"More than YOU need to know?"

Sacred Cacti Fourth Edition
Part C: Cactus Chemistry: Section 1

Trout's Notes on

The Cactus Alkaloids

Nomenclature, Physical properties, Pharmacology & Occurrences

Assembled by
Keeper Trout
& friends

A Better Days Publication

"*More than* you *need to know*?"

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Working Draft Version
Still In-Editing & Proofing

Trouts Notes

on

The Cactus Alkaloids

Originally published in part as ***Appendix A*** to ***Sacred Cacti*** **(first edition)** in 1997 and again in 1998 as Trout's Notes #C-9 *Cactus Alkaloids, other than Mescaline; Reported from Mescaline Containing Cacti*; (*including Coryphantha alkaloids*)
Now retitled as"*The Cactus Alkaloids*" it has been expanded to encompass all of the known cactus alkaloids.
It also now includes what formerly were several chapters concerning Mescaline in *Sacred Cacti* Part A.

This *illustrated* version merges, updates, corrects and replaces all previous versions.

MydriaticProductions

This is an editing copy of a work that is ongoing.

Much of this work is as complete as was possible for me in 2007. Acquiring and processing the references needed for its completion has continued to delay the planned release to such an unacceptably uncertain future date that it was deemed of value to make the in-proofing version available even though work is still actively ongoing. Despite the larger work *Sacred Cacti* now including more than 2900 references there is still a stack of hundreds more papers presently being processed and at least as many that are still being sought. By the time that a thorough treatment of *Hylocereus* and *Opuntia* is completed I anticipate that more than a thousand additional titles could be added on those two alone.

Several more recent chapters from Sacred Cacti are also now included. Some of that is almost unchanged from the 2001 edition but many portions are either new or updated. The chapters on mescaline, its physical data, occurrences and pharmacology were moved to this book as it has always been an arbitrary call which work the mescaline entries best belonged and where to draw the lines. While they belong with the alkaloid entries their much greater size makes them a lumpy fit.

Part A itself is now focused mainly on the plants and to a lesser degree on their politics.

To simplify keeping track of references it was decided to create a master reference section for the work *Sacred Cacti*. That will be found in the pages of this book.

***Sacred Cacti* Fourth Edition (revised yet again) [now online at sacredcacti.com]**
Part A **The Mescaline Containing Cacti** (Current PDF to come; much new material.)
Part B **San Pedro** [3rd edition PDF version online]
Part C **Cactus Chemistry**
Section 1 **The Cactus Alkaloids** [4th edition PDF version online]
Section 2 **Cactus Chemistry By Species** [4th edition PDF version online]

Please let me know if you spot errors or know of additions or if you have suggestions on how to make this a better work. I also welcome any contributions of images; especially in habitat.

Periodically this work will be replaced whenever progress merits it. When that occurs the index and table of contents pagination will shift and so may elements of the layout or any aspect of its imagery.

When the new edition is completed, it will replace this PDF version online and hopefully see print.

Check my webpage for contact information, new uploads and updated versions:

http://troutsnotes.com/

This work is dedicated to the smiling jaguar deity of the Chavin and to all humans who still know what sacred truly means.

IMPORTANTDisclaimer & Cautionary Statement to Readers

All information is contained strictly for informational and educational purposes and should not be construed as advocacy for anyone to violate state or federal laws.

Depending on where a person lives, the following material contains techniques and procedures that might place one in direct violation of state and federal laws if they were put into practice.

Mescaline and some similar substances are currently regarded as dangerous drugs.

Despite a complete lack of human fatalities and a proven safety record in humans exceeding that of many commonly prescribed & readily available over-the-counter pharmaceuticals, they are, in fact, at least potentially, quite dangerous substances. This is not due to their their pharmacological or toxic properties but rather is entirely the direct result of the potential actions that may arise from those who quixotically consider them to be dangerous and who are dedicated to MAKING them dangerous.

These peoples' extremely serious and ever-present threat of very real danger should never be underestimated. This is not a rational issue for them and no amount of logical persuation can be expected to sway their emotionally and/or religiously based opinions.

Failure to comply with state or federal laws can result in lengthy imprisonment, excessive fines, terroristic home invasions, deliberate terrorism of your family & friends, wanton destruction & vandalism of personal belongings, infliction of immense mental anguish on you & your loved ones, savage beatings & other physical injury, intimidation or harassment of friends or casual acquaintances or even the targeting of them for similar fates, attempted or successful sabotage of career or business reputation with malicious attacks upon and slanderous accusations against personal character being deceptively presented to employers, friends, family or business acquaintances with deliberate pejorative intent, deliberately brutal murder or injury of pets, eviction from rental properties and/or a complete loss of assets, checking & savings accounts, vehicles, computers, other possessions & real property, child custody, or even worse.

You may even find yourself being shot in the middle of the night by automatic weapons carrying, night-vision goggled home-invaders as you are trying to put on your pants.

There is no example mentioned above which has not already occurred in the efforts being directed against drug users.

While seemingly unthinkable in any free and democratic society, this is currently the very serious state of reality produced by the current illegality of an increasing number of these substances and the existence of a well-funded and powerful modern-day Inquisition that is dedicated towards our eradication via a brutal reign of terror and violent suppression.

Readers should operate under no illusions when reflecting upon the reality of this as yet another attempted state-sponsored social purge & cultural cleansing.

The information contained in these pages is intended to better enable future research into this important and fascinating area of consciousness and science.

We do not advocate the use of illicit —or for that matter, *any*— drugs by uninformed or underinformed individuals.

However, we also recognize that many people will choose to use drugs whether they are informed or not.

We do not intend to encourage or promote drug use.

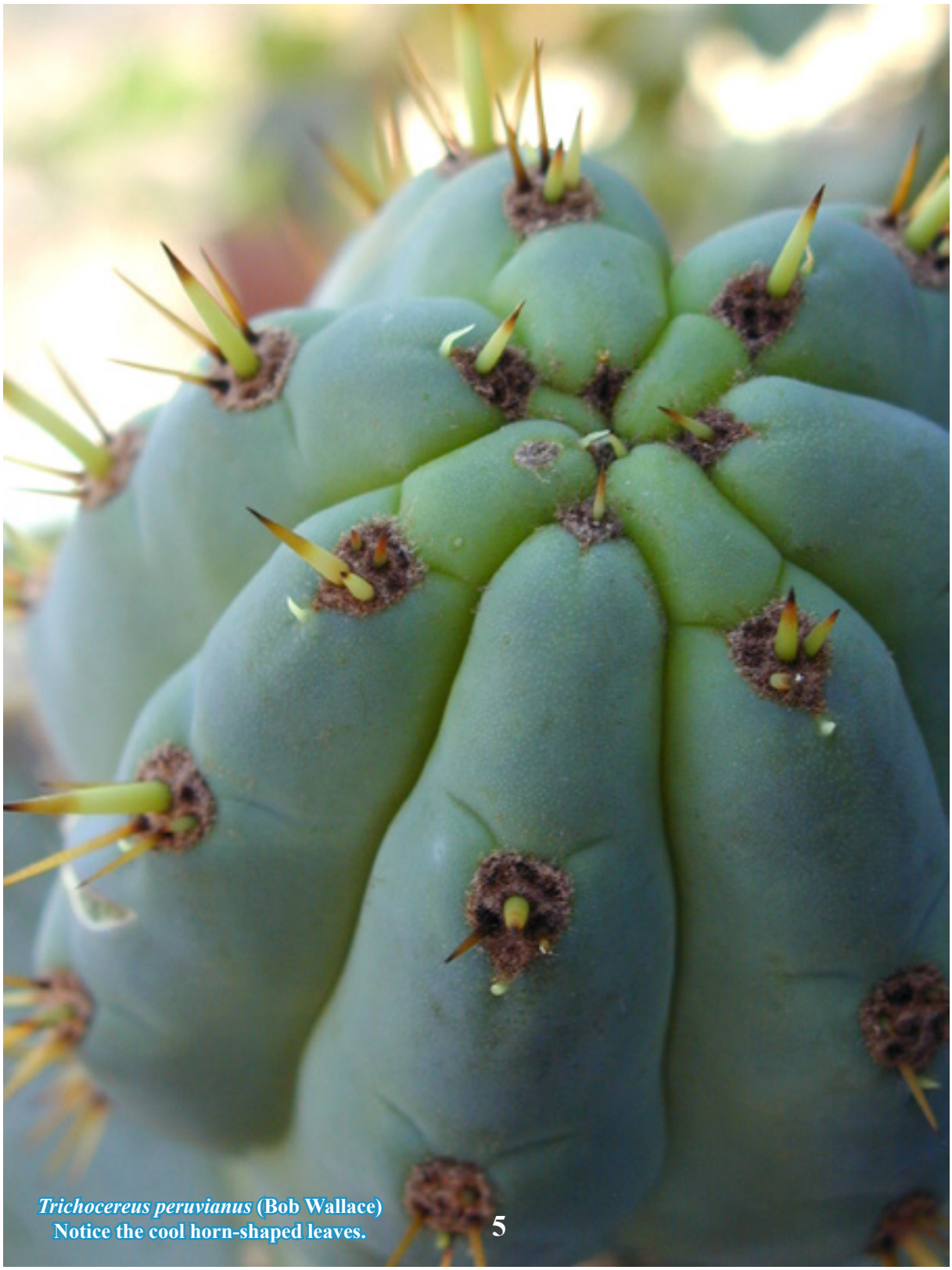
We do want those who are already determined to use these substances, regardless of current legal status, to be able do so in an informed, knowledgeable and responsible manner; whether this is planned as sacrament, 'recreation' or experimental material.

Our hopes and intentions are that, through education and awareness, more informed choices can be made, thereby minimizing the risks often associated with substance use.

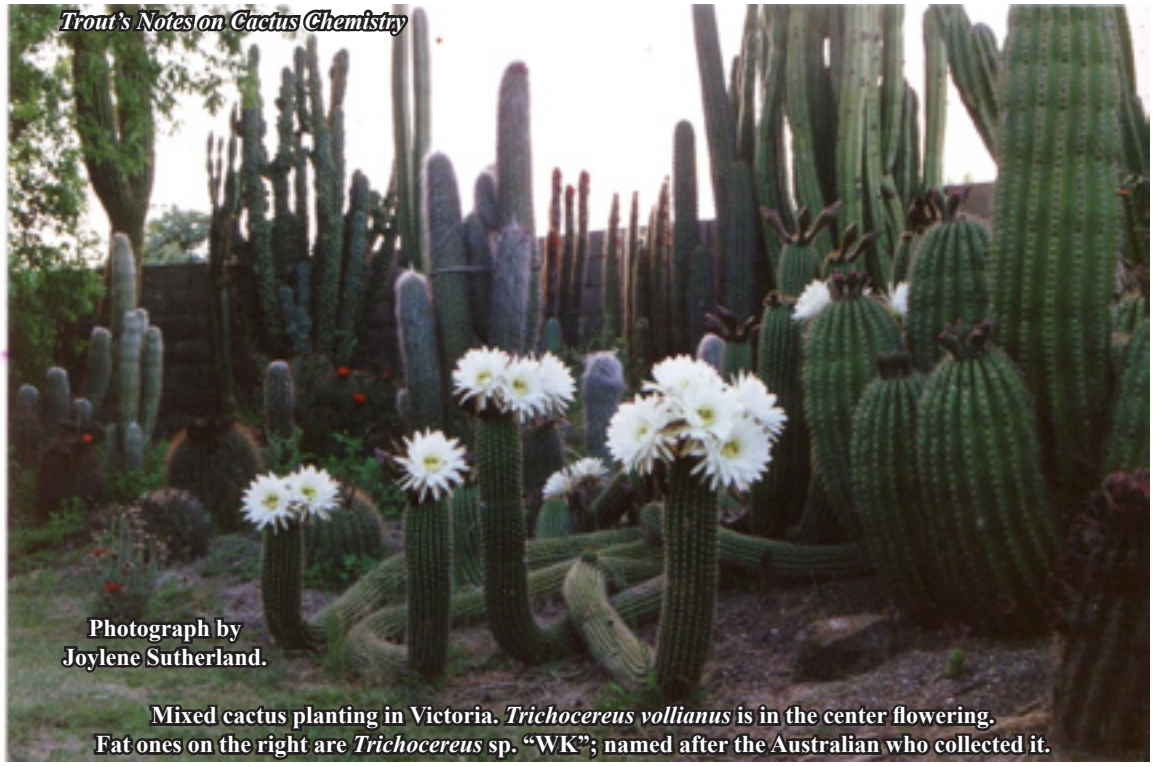
It is with this in mind that we present the following.

The identifications of the species pictured in this work are as accurate as possible. However, in some cases we are not qualified to judge accuracy of the labels so in the event of mislabeled plants grown from seed we could have inaccuracies.

Unless we had questions which are noted or altered the name to come into line with other images of the same species we present them as they were labeled.



Trichocereus peruvianus **(Bob Wallace)**
Notice the cool horn-shaped leaves.



Photograph by
Joylene Sutherland.

Mixed cactus planting in Victoria. *Trichocereus vollianus* is in the center flowering.
Fat ones on the right are *Trichocereus* sp. "WK"; named after the Australian who collected it.

X*coahuilense* (center row)

X*capricorne* (bottom row)

Astrophytum cv. Superkabuto hybrids

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Lophophora williamsii echinata
wild seedling

An unlabelled cactus in Oz

Echinocereus enneacanthus
growing above
Lophophora williamsii

Some opening comments

Editor's Comments (Trout):

This work is intended to provide more info or references to researchers looking in-depth at the alkaloids reported from the various cactus species

Mescaline pharmacology, distribution, metabolism & excretion is not included in any detail as this was covered in *Sacred Cacti second edition*.Part A An abbreviated version of the toxicological summary and physical data section from that work is reproduced here for the convenience of readers. Please see *Sacred Cacti Second Edition* for more details.

Reported occurrences are at the end of each alkaloid entry.

One point which must be stressed concerning some of the percentages given is that these were often calculated from the final yield of highly purified salts obtained via recrystallization. The actual alkaloid content may be higher.

Alkaloid content in cacti can be highly variable. It is known that seasonal fluctuations are exhibited in some species (such as *Lophophora*), as well as there being the potential for high variability between individual plants, local populations and different varieties or even strains within a given species.

Many poorly understood factors can affect this, including age, part sampled, nitrogen availability and other environmental conditions.

All percentages given for specific species should be viewed as general guidelines based on observations rather than absolutes.

Whenever possible, the original citation was consulted to determine the accuracy of the included statements.

In many cases reference sources do not differentiate by alkaloid but rather present a tabulated list of reported alkaloids (by species) accompanied by a list of every paper which even mentioned the plant; therefore some references listed under several species in the occurrence sections here may be superfluous or, even, **in error** if the primary paper was unavailable to us.

Mata & McLaughlin (by species) and Southon & Buckingham (combining related alkaloids together in single entries) are particularly prolific in this area.

In the case of peyote, where the first of these lumps all reported compounds together with around 50 references, it makes their conflicts with the literature interesting indeed to sort out.

It is not surprising that as double checking has progressed it has uncovered numerous erroneous entries and citations. These have been and are being deleted as discovered.

For the most part, no detailed record has been kept of the detected errors, beyond their simple occurrence, although it will be very obvious when contrasting our by-species listing in with other listings by-species.

Mata & McLaughlin in particular had a number of conflicts with their cited references, which was found problematic as Dr. McLaughlin coauthored most of them. For all we know, Mata & McLaughlin 1982 was intended to correct errors in the originals but we could not and did not assume this as none were noted as such and nothing new was presented (except for mentioning the then-still-unpublished work by Ferrigni & McLaughlin reporting N,N-Dimethylhistamine in *Echinocereus triglochidiatus*)

Use of the index is strongly recommended in spite of the current lack of proper organization when it comes to nonalphabetic characters.

Any feedback would be most welcomed.

IF a mention of occurrence has the method used for identification and a percentage (if given), we have personally read the paper and any existing errors in transcription are solely Trout's.

Some purists will take issue with our lists of chemical synonyms. They are right to do so as chemical names are normally assigned under fairly strict conventions to ensure that we all discuss the same compound when using a particular name. However, many researchers rearrange the names along no well defined lines. We have included chemical names in all variations which we encountered in the literature.

Chemists and biochemists unfortunately rearrange chemical names to suit their particular illustrative purposes. For example, viewing a 3-Hydroxyl as a 5-Hydroxyl may better serve to illustrate biosynthetic processes involving ring closure to form tetrahydroisoquinolines.

While many are therefore superfluous, their inclusion was thought to ease cross-referencing and indexing. (We also took the liberty of filling in a few gaps for the sake of continuity.)

Many common phenethylamine alkaloids are so widely distributed in nature that it was deemed pointless to include a comprehensive occurrence list, as it would be both far from comprehensive unless done as an independent project, and would form such a large body of work as to be exceedingly divergent from the topic at hand. In these cases we refer the reader to compendiums that can provide them with more information than we do.

In hope of establishing continuity in some areas of poorly represented alkaloids and structures, we have also included a few closely alkaloids that do not occur in cacti but are similar to those that do and might be of interest to some readers.

For the largest part we have omitted the synthetic alkaloids. Included also are the artifacts encountered in the cactus literature and a couple of synthetic β-hydroxylated phenethylamines, as almost everything on all sides of the latter have been reported to be produced biosynthetically in natural sources and we feel that most, if not all, will eventually show up as natural products.

The vast majority of the isoquinolines are not included.

It is a huge field, even if limited to those reported from cacti, and excellent reviews have been published.

Organization is roughly by increasing substitution: (Main category: **Aromatic**; Subcategory: **Aliphatic**; with β-substituted alkaloids following their corresponding non-β-substituted analog) but use of the index is strongly recommended if searching for a specific inclusion.

We have included some reported occurrences in other plants but that is in no way meant to be comprehensive.

It is important to keep in mind that despite Djerassi's amazingly rich and quite competent work with triterpenes, sterols and similar compounds his assay procedure was flawed for detecting mescaline and many other alkaloids.

This most often consisted of extracting his dried sample with diethyl ether then testing it for whether or not it showed an alkaline reaction.

Unlabelled but likely *Trichocereus huanucoensis*. 14
(HBG)

Comments on the occurrence & distribution of mescaline

One odd point that might be noticed by the careful reader: Any and all recent reports of mescaline have been trace amounts.

Granted science has advanced to a point where the technology for detecting such trace amounts has become more sensitive and rapid.

In years past, broad screenings on cacti have largely been alkaloid negative; showing alkaloids in around 40% (or less) of the plants tested. Of the positive testing species, only a small portion have been mescaline producers. Of these, only a smaller portion were decent producers.

My point is that there always was at least a couple of decent mescaline containing plants that showed up in **any** broad analysis of species (especially so when focused on the close relatives of known mescaline producers.)

Yet, consider the facts that **NOT ONE** new species with useful concentrations was published in the peer-reviewed scientific literature for over **20 years**, while the largely unconfirmed & unpublished reports of successful underground bioassays of 'new' plants are slowly but steadily growing (and indicating far higher potency in many 'old' species than were suggested by scientific studies)

Successful reported bioassays also include a minimum of 4-5 presently unnamed but potent *Trichocereus* species and nearly another handful of others that are already named but lack any published analysis. We list only those with a meaningful designation of some type.

At least as many more are strongly suggested by hearsay but lack any details. All need formal analysis. Many more are suspected but all are entirely unevaluated.

Couple all of this with 1) the **stated** motivation of many modern authors being the determination of "*abuse potential*" for commercially available cactus species, 2) their research often being funded heavily by grants from anti-drug agencies or domestic and international 'health' organizations, and 3) add the fact that the actual range of analyzed & published material continues to expand almost every year. Hints of a familiar pattern start to form.

Considering the stated objective of much of this work was said to be the assessment of the abuse potential of commercially available cacti, it is interesting that no peer reviewed work published between the years 1978 and 2010 was able to find even a single cactus that contained usable concentrations of mescaline.

Presently the majority of 'new' species have been discovered by drug using people eating unknown material.

The new exceptions are the very recent works by people like Cjuno, Serrano and Ogunbodede. However, in all of these cases those workers were following up on plants believed to have use or to closely resemble plants which were known to have use.

It would be a relatively simple matter for alkaloid screenings to be conducted in order to indicate which species might prove valuable for an in-depth investigation.

Field screenings for mescaline would not be difficult to accomplish with co-tlc or even with a short series of color reagents.

Some useful reagents & color reactions are included elsewhere here as are tables of chromophores & tlc Rf values & a short list of references for microcrystalline reactions.

Field screenings cannot be considered proof of an alkaloid's existence but can rapidly and considerably narrow down the field of potential choices of plants to actually analyze in greater detail. The plants with trace amounts which might be missed by such crude screenings are unlikely to represent usable species (but still should be checked again at another time of year).

Astrophytum cv. Superkabuto
notice the unusual flower color form

Analyzing plants for mescaline requires the isolation of what is currently a controlled substance, so this may also present a problem for independent researchers even if they have no intentions of use.

Finding more mescaline containing plants, especially high mescaline containing plants is not considered to be desirable in the eyes of those who consider entheogens part of the 'drug problem.' The irrefutable truth of the matter is, the ***only*** serious problem associated with mescaline or its responsible use is the fact that it is against the law.

Those who consider the entheogens and other drugs to be problems are the major direct source of most of the 'drug problem' whether their motivation is of legal or spiritual basis.

I would further suggest that in this case such people are both the actual and entire CAUSE of any 'drug problem' related to mescaline and mescaline containing cacti. If legal, mescaline would neither pose nor create any such problems.

That might sound like a radical statement but I would invite anyone who disagrees to take this up in a public debate with me.

***Trichocereus taquimbalensis* in habitat in Bolivia** **Photo by correspondent requesting anonymity**

This & a couple of other brief sections are also contained within both part B of Sacred Cacti. With apologies to the reader who owns both works, we felt these important enough to ensure they were possessed by owners of only this book. Revised Nov. 2006.

Distribution of alkaloids within cacti

Surprisingly there has been relatively little serious work published on this topic.

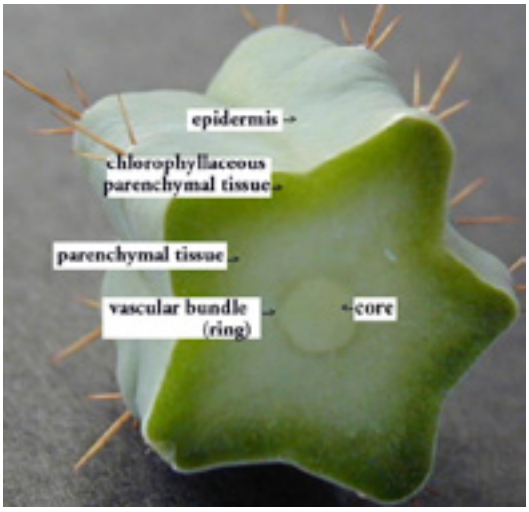
In the observations included within this discussion please bear in mind that different workers apply the terms cortex and epidermis differently. The actual tissues that they are speaking of can be inferred from the rest of their discussion but some caution is needed not to directly equate those different worker's accounts based on their use of a single given word.

Skin and epidermis may both mean the green tissue or the outmost cuticle depending on who uses the words.

In "pellote"; alkaloids were reported by Janot & Bernier 1933 to be almost exclusively in the internal cells of the cortical parenchyma at top of plant.

In *Trichocereus candicans* alkaloids were found by Niedfeld to be mainly in the chlorophyllaceous cortical parenchyma. (Niedfeld used microchemical methods to determine this) Reti 1950 cited Niedfeld 1931.

In *T. terscheckii*; alkaloids are primarily in the parenchymal tissues, 29% were found to be in the green epidermis (dry), while the central parts (dry) including cortical parenchyma contained 45% of the total alkaloid content. [Please note that this included the vast majority of the parenchymal tissues and the total weight of that portion of the plant is much higher than that of the green epidermis. This indicates a lower concentration for the central parts than in the green portion but potentially useful concentrations nonetheless.] Reti & Castrillón 1951



The interior of *Trichocereus bridgesii* (young tip)

Guttierrez-Noriega 1950 (citing Cruz Sánchez 1948) claimed that the alkaloids are primarily in the "bark" of *T. pachanoi*. His word, *corteza*, translated in the English summary as *bark*, also means 'cortex' or 'skin' in Spanish. Apparently Cruz Sanchez worked with the outer layer due to the slime resulting from use of the whole stem interfering with his extraction procedure. He reported 5% in the dried outerlayer.

Parenchymal tissues are the highly specialized thin-walled storage cells that exist in a thick outer layer on the plant. They are the site of many metabolic processes and also store such things as water, calcium oxalate crystals and often alkaloids.

Calcium oxalate crystals are said to be stored in abundance in some peyote specimens. Their presence can be easily demonstrated in many cacti including San Pedro by simply extracting some older sections, chilling the tea and recovering the white sandy layer which deposits on the bottom. Under even minor magnification this will be found to be spheroid druses of calcium oxalate crystals.

As far as I can determine, the parenchymal tissues extend from the skin to the vascular bundle and include all tissues other than vascular, structural or connective tissues.

Cortical parenchymal tissues are those towards the outside. Chlorophyllaceous just means that they have chlorophyll (are green). Obviously, when a peyote button is sliced into two horizontal portions, they will be slightly more prevalent in the top half of the button than the bottom half of the above ground portion due to the relative percentage of tissue which is occupied by the central vascular tissues and by the outer layer. Published analytical work reflects this (see under *Lophophora williamsii* chemistry).

A similar picture was reported for triterpene glycoside distribution within the flesh of the organ pipe cactus: *Lemaireocereus thurberi*.

Tissue	**% of total Methanol soluble product**
Epidermis	4
Photosynthetic layer	42
Transition zone	28
Cortex	12
Pith	10
Wood	3

From Kircher 1972

Be aware that what Reti called the green epidermis Kirscher termed the photosynthetic layer while Guttierez-Noriega referred to it as "corteza". This is largely the result of dividing up the plant differently but also involves different people defning words differently. Despite the ease of confusion, with some cautious reading their accounts are still useful in contributing to our understanding.

Since there is considerably more weight to the central parts than the green portion, the work of Reti & Castrillón 1951 & others does give support to the idea that the highest mescaline concentration is on the green periphery of the plant.

Many accounts exist from assorted lay sources commenting on the greater potency of dried material they believed was achievable when separating and using only the outer green tissues.

On the other hand "*less*" does not mean that there is no alkaloid in the whitish tissues beneath it. All evidence suggests that there is ample alkaloid contained in these parts. It is also likely there is even less in the central vascular bundle and core itself.

Another interesting result was noted among Smolenski and coworker's multitude of general alkaloid screenings. When testing *Pachycereus pecten-aboriginum* they reported **Roots: ++**, **Stems: –** and **Ribs: +++.** As slicing off the ribs would remove most of the cortical tissues this is in line with the above observations. Their account provides no further information on tissues evaluated (samples provided to them as a previously prepared extract).

There is additional support for this; Djerassi *et al.* 1953b determined that the majority of the alkaloid content in *Lophocereus schottii* was in the green epidermis (6.7% crude alkaloid); only a minor portion in the cortex (1.1% crude alkaloid) and almost no alkaloid in the core & pith (0.2% crude alkaloid).

This area needs further work. While many alkaloids may indeed be higher towards the outside of the above ground portions of a cactus there are known exceptions. Hordenine being observed in the root rather than the top (in peyote) is a good example. Its highest concentrations being in the root was reported again in *Mammillaria microcarpa* by Knox and coworkers. (See details in **Cactus Chemistry: By Species**.)

It is noteworthy also that **all** of the alkaloids measured by Knox were much higher in the cortex itself as compared to the chlorophyll rich tubercles and several were higher in the vascular tissues than in the tubercles.

We were informed by an *Entheogen Review* reader that they had found an unspecified amount of the cores of San Pedro to be active but they provided inadequate information for us to understand HOW they actually determined this or exactly what was meant by "active".

Anderson cited Todd 1969 as finding little difference [qualitative] between the alkaloids of root and top in peyote except for hordenine which was only present in the root **[Note 3]**. While true in most aspects, this is potentially a little misleading as concentrations in the roots are far lower than in the tops. Please see more details under the *Lophophora williamsii* entry. This is also in, at least partial, conflict with the reports of other workers.

Todd collected his samples during June. Curiously Lophophorine apparently was observed as the major alkaloid in *L. williamsii*. [See comments within that species' entry concerning seasonal fluctuations of alkaloids which may have been observed in peyote.]

Pummangura *et al*. 1982 reported that mescaline did not transmigrate between grafted *T. pachanoi* and *T. spachianus* regardless of which was used as stock and scion. Their conclusion was that mescaline was locally produced and noncirculating.

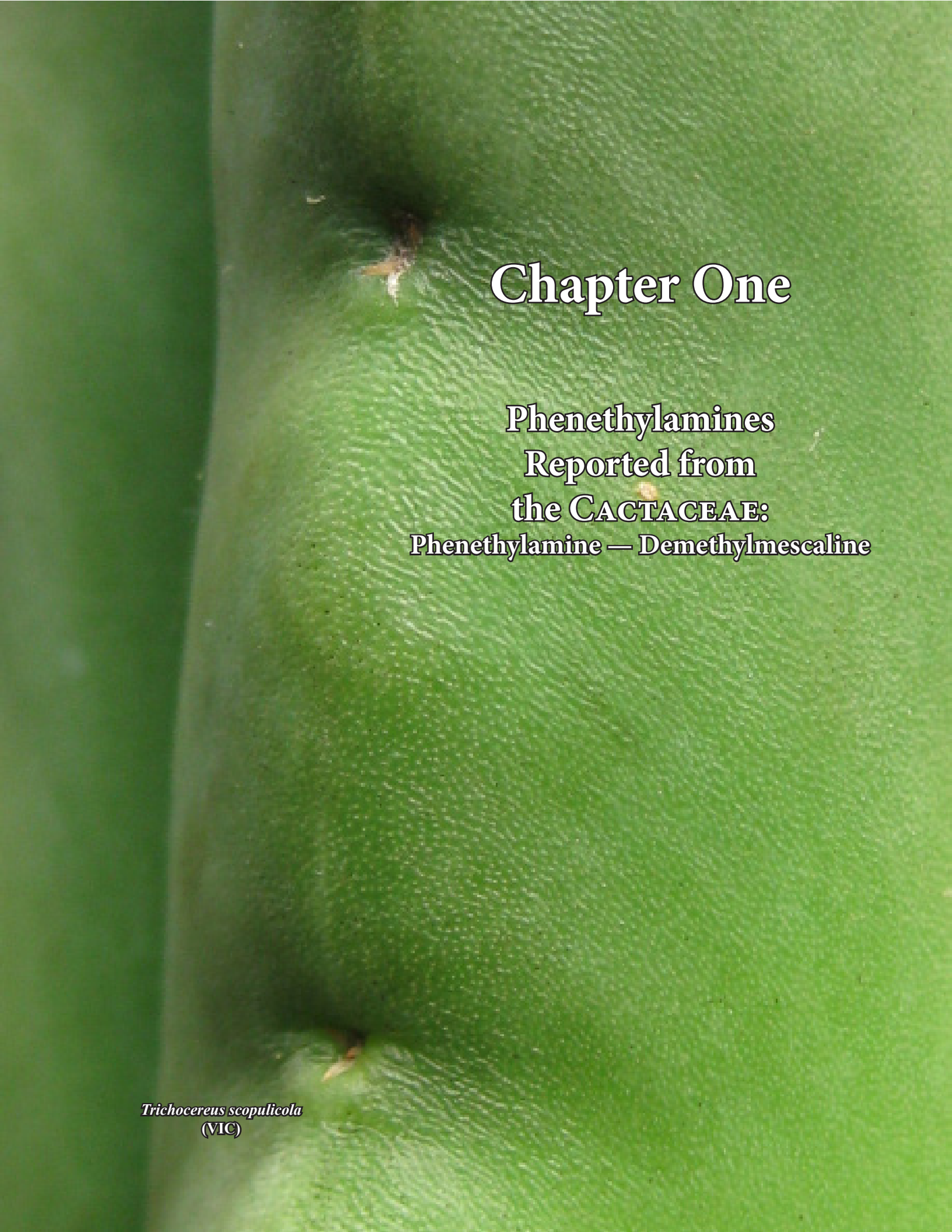
Siniscalco 1983 reported that the normally mescaline-free *Myrtillocactus geometrizans* was found to contain 0.3% mescaline by dry weight after having previously been grafted with *Lophophora williamsii*. This is contradicted in their experimental account. A friend translating the paper from Italian told me they had concluded that there was a lack of transmigration and mescaline was noncirculating.

Many questions immediately arise.

None are presently answered.

It is almost unbelievable that no one has looked into the matter of alkaloid distribution within cacti more thoroughly.

Gymnocalycium mazanense



Chapter One

Phenethylamines Reported from the Cactaceae: Phenethylamine — Demethylmescaline

Trichocereus scopulicola
(VIC)

Trichocereus fulvilanus
(HBG)

Phenethylamine

Benzeneethanamine, 9CI; β-Phenethylamine, 8CI;
1-Amino-2-phenylethane; 2-Phenethylamine;
β-Aminoethylbenzen(e); PEA.

WLN: Z2R
Hayward: 6R(CCZ)R5
#1167 in USDIN & EFRON 1979

Chemical Abstracts Reg: 000064040 [64-04-0]

$C_8H_{11}N$ USDIN & EFRON 1979 and MERCK Ninth
$C_6H_5CH_2CH_2NH_2$ CRC

MW 121.18
#7016 in MERCK INDEX 1976 Ninth Edition; page 937.
#10730 in CRC 1980-1981 61st Edition cited
[BEILSTEIN B12³, 2408]
MW 121.2 CLARKE 1986

Free base:
Liquid with fishy odor. Absorbs CO_2 from the air. Does not solidify when cooled in ice-salt mixture. MERCK Ninth
Colorless strongly basic oil [Ed.: WHITE usually separated by steam distillation]
RETI 1953 & 1950.
"*Strongly basic liquid*"
Absorbs carbon dioxide (CO_2) from the air. CLARKE 1986
bp 194.5-195º MERCK Ninth & CLARKE 1986
bp 197-198º CRC
bp 198º (760mm) RETI 1953 & 1950

Density:
D^{25}_4 0.9640
MERCK Ninth.
$0.9580^{24/4}$
n_D 1.5290^{25}
CRC

Soluble in water. MERCK Ninth.
Slightly soluble in water
Readily soluble in alcohol and ether
RETI 1953 & 1950
Freely soluble in alcohol and ether. MERCK Ninth.
Soluble in alcohol and ether CRC
Soluble in water

Freely soluble in Ethanol and in Ether CLARKE 1986

Hydrochloride:
$C_8H_{11}N{\cdot}HCl$ MERCK Ninth
$C_6H_5CH_2CH_2NH_2$. HCl CRC
MW 157.65
Plates or Leafs (alcohol) CRC
Orthorhombic bipyramidal platelets from absolute alcohol. MERCK Ninth
mp 218-219º C CRC
[mp 217º RETI 1953 & 1950; also MERCK Ninth.]

Soluble in water and alcohol.
#10731 in CRC
Freely soluble in water;
80 parts will dissolve in 100 parts of water at 15º.
Soluble in alcohol.
Insoluble in ether.
MERCK Ninth

LD_{50} as hydrochloride: 366 mg/ kg/ ip in mice. MERCK Ninth.

Oxalate mp 218º
Platinichloride (Chloroplatinate) (golden leaflets from alcohol containing HCl) mp 253-254º (insoluble in H_2O)
Aurichloride (Chloroaurate) mp 98-100º
Picrate mp 171-174º
RETI 1950 & 1953

GC, HPLC, UV, IR, MS: CLARKE 1986

Assays: (from USDIN & EFRON 1979)
SCHWEITZER & FRIEDHOFF 1970
and MOFFAT & HORNING 1970

Orange in Marquis Test.
Visualized with acidified Iodoplatinate reagent in tlc. CLARKE 1986

Chromophore with tlc reagents:
Fluorescamine (under UV) - Aquamarine
Dansyl-chloride overspray (under UV) - Aquamarine (unchanged from Fluorescamine conjugate; would be yellow if sole reagent)
Iodoplatinate overspray (visible) - Yellow-brown
RANIERI & MCLAUGHLIN 1975

Aquamarine with 0.002% solution of Fluorescamine in waterfree acetone as tlc spray. Viewed under 360 nm UV
Rf 0.67 on MERCK Kieselgel 60 F 254. Developed in: Ether-Methanol-25% Ammonium hydroxide (17:2:1)
WAGNER & GREVEL 1982a
tlc (using plates of Silica gel G (250 μm thick) previously dipped or sprayed using 0.1M Potassium hydroxide & Methanol and dried).

Solvent system	**Rf**
Chloroform-Methanol (90:10)	0.28
Cyclohexane-Toluene-Diethylamine (75:15:10)	0.28
Methanol-conc. Ammonium hydroxide (100:1.5)	0.49

CLARKE's 1986

Synthesis:
JOHNSON & GUEST 1909
ROBINSON & SNYDER 1955: page 720

Putrefactive base. CLARKE 1986
Skin irritant and possible sensitizer. MERCK Ninth.

Relative pressor effects of phenethylamine and its methylated and hydroxylated derivatives described by Barger & Dale 1910. (Determined to increase blood pressure in decerebrated cats 0.2-0.3% as much as adrenaline.) Reti 1953 & 1950

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; see Martin & Downer 1989

Phenethylamine occurs in many plants, including numerous *Acacia* species. *See*
Boit 1961
Clement *et al.* 1997 & 1998 (Both accounts are questionable.)
Raffauf 1970
Smith 1977a
von Kamienski 1957
White 1944a , 1951 & 1957
Willaman & Schubert 1961

In cacti; phenethylamine has been reported from:
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada is listed **in error**. This is apparently the result of a misreading of a typo in Ferrigni *et al.* 1984.
Dolichothele sphaerica (Dietrich) Britton & Rose
Keller 1982 (trace) tlc, gc
Islaya minor Backeberg
Doetsch *et al.* 1980 (no quantification) tlc.
Pelecyphora aselliformis Ehrenberg, has been **erroneously** listed. The reference that was cited, Neal *et al.* 1972, ran this alkaloid as the dansyl-derivative using pure reference compound. It was not found in the plant.
Pelecyphora pseudopectinata Backeberg
Štarha *et al.* 1999 (0.98% [± 0.12] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Pereskia autumnalis (Eichlam) Rose
Pereskia pititache (Karwinsky) Br. & R.
Pereskia tampicana Web.
Pereskiopsis chapistle (Web.) Br & R.
(All *Pereskias* by Doetsch *et al.* 1980 Using fluorescamine conjugates with tlc. No quantification for any of them.)
Turbinicarpus lophophoroides
(1.04% [± 0.27] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus***
(1.12% [± 0.13] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus[1]
(1.1% [± 0.12] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***dickisoniae***
(1.70% [± 0.15] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus *var.* ***flaviflorus***
(1.01% [± 0.21] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii***
(1.07% [± 0.42] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
(All *Turbinicarpi* were by Štarha *et al.* 1999)[2]

Merck 9th mentions PEA occurs in oil of bitter almonds and is present in normal human urine at ~30 µg per liter.
Reported occurrences in human body fluids: see Davis 1989

[The α-Methyl analog, **α-Methylphenethylamine**, AKA Amphetamine, was reported in the Leguminosae:
Acacia rigidula Bentham.
Clement *et al*. 1998 (6.7 ppm early Spring/ 11.8 ppm late Autumn.) (This account is questionable.)
Acacia berlandieri Bentham
Clement *et al*. 1997 (3.1 ppm early Spring/ 10.1 ppm late Autumn.) (This account is questionable.)
All fresh wt. in mixed leaves, petioles & tender stems. gc-ms]

Sasha Shulgin pointed out that two of their novel compounds have never had any published synthesis appear in the literature.

Dr. Shulgin and also Dr. Terry, who was then at Texas A&M and who knew Dr. Clement, have been unable to get answers or responsiveness. She apparently ceased to answer her phone or to return phone calls.

These unanswered questions about the purported sources of their reference materials cause us to suggest Clement's work be viewed with caution. More recent work (Pawar *et al.* 2013) failed to support all of Clement's results that were novel.

Due to the appearance of these papers in the literature the entries are included in this work but are accompanied by a note that the results may be questionable.

1 Also encountered *schmiedeckianus*; we used the spelling of Glass & Foster 1977.
2 All *Turbinicarpus* species analyzed by Dr. Štarha were seed grown in Czechoslovakian greenhouses.

N-Methylphenethylamine

2-(Methylamino)ethylbenzene;
β-Phenethylmethylamine; NMPEA; N-Me-PEA

$C_9H_{13}N$

Free base:
Oily base. Camp & Lyman 1956
Colorless oil bp 73-75º (4mm) Reti 1950 & 1953
Soluble in alcohol, chloroform or ether.
Adams & Camp 1966

Hydrochloride
mp 152-154º (synthetic) Johnson & Guest 1909 (From White 1954)
mp 157º (dec.) (synthetic) Decker & Becker 1913 (From White 1954)
mp 158-159º (synthetic) White 1954
mp 160º (from *Acacia prominens*) White 1954
mp 161-162º White 1954 and Reti 1950 & 1953.
This figure was from Yurashevskii 1941 (*Arthrophytum leptocladum*)
mp 164-165º Dingerdissen & McLaughlin 1973a
mp 164-**169º Dingerdissen & McLaughlin 1973b**
CHECK NUMBER IN 1973b

Picrate:
mp 139º (synthetic) White 1954
mp 140º (from *Acacia prominens*) White 1954
mp 141º (synthetic) Johnson & Guest 1909 (From White 1954
mp 141-142º White 1954 and Reti 1950 & 1953. (recrystallized from alcohol according to Reti) This figure comes from Yurashevskii 1941 (*Arthrophytum leptocladum*).
mp 141-143º (synthetic) Decker & Becker 1913 (From White 1954

Picrolonate (from alcohol)
mp 217-218º
Reti 1950 & 1953

Methiodide
mp 227-228º
Reti 1950 & 1953

Platinichloride (Chloroplatinate):
Orange prisms from concentrated slightly acid solution. White 1954
mp 208-212º (dec.) (synthetic) White 1954
mp 208-212º (dec.) (recrystallized from hot water) (from *Acacia prominens*) White 1954 White found that the mp could vary from 204 to 222º depending on the rate of heating.
mp 212º (dec.) (synthetic) Johnson & Guest 1909 (From White 1954
mp 220-221º [White 1954 and Reti 1950 & 1953. This figure comes from Yurashevskii 1941 *Arthrophytum leptocladum.* (recrystallized from alcohol according to Reti)]
mp 225-226º (dec.) (synthetic) Decker & Becker 1913 (From White 1954

In the Rio Grande plains of Texas, a condition known as"*Limberleg*" or *"Guajillo Wobbles*" occurs in range sheep and goats during periods of drought when (and where) *Acacia berlandieri* (Guajillo) becomes the dominant available vegetation. When other forage is available, *guajillo* is considered to be wholesome and nutritious component of the diet and apparently does not cause any problems. Animals may ingest the plant exclusively for 6 to 12 months before developing "*locomotor ataxia of the hindquarters*". (Usually during prolonged droughts.)

The affected animals develop a locomotor incoordination of the legs. In the beginning, the incoordination is observed only when they are forced to move; after several days or weeks, the incoordination is complete with the animals recumbent, prostrate, unable to rise and they may die of starvation or thirst.

The sympathomimetic amine, N-methyl-phenethylamine, has been identified as the major alkaloid synthesized by *Acacia berlandieri*. and has been claimed to be proven "*conclusively*" to be the cause of"*Guajillo Wobbles*" in feeding experiments done by D.A. Price and W.T. Hardy (Price & Hardy 1953) and in later toxicological studies with purified N-Methylphenethylamine (Camp & Lyman 1956, Camp & Lyman 1957 and Camp *et al.* 1964)

This conclusion was questioned by Clement *et al.* 1998 who noted that while the feeding trials of Broughton & Hardy 1941 produced locomotor ataxia; it was not observed when NMPEA or tyramine was injected into well fed animals by Forbes *et al.* 1993.

While their conclusion lead to some serendipitous results that might not have emerged otherwise, it should be added that 'guajillo wobbles' also do not occur in well fed animals and arise only when the involved *Acacia* species serve as the primary or exclusive form of sustenance in an otherwise limited diet.

It should be added however that the analysis of *Acacia berlandieri* and *Acacia rigidula* reported in Clement *et al.* 1997 & 1998 (respectively) showed a dramatic rise in the levels of N-Methylphenethylamine by Autumn accompanied by a wealth of other physiological active amines including several amphetamines and nicotine that could be expected to have adverse effects on the appetite of animals.

Pawar *et al*. 2013 did not detect the presence of any amphetamines or most of the other novel compounds reported by Clement but did support the results of earlier workers.

Loss from"*Limberleg*" during prolonged drought may reach 65% of mature animals (goats and sheep).
Camp & Lyman 1956 & 1957 and Camp & Norvell 1966

LD_{50} (i.p.) of N-methyl phenethylamine hydrochloride was found to be 200-225 mg/kg in rats
This toxicological "study" of the amine (I.P. in rats) found:
10-20 mg./ kg. produced piloerection and increased heart rate and respiration.
50-200 mg./kg ***additionally*** produced hyperactivity, hypersensitivity, hindleg incoordination and apprehension, followed by depression.
200 mg/ kg ***additionally*** produced coordinated swaying of the head and tail, retropulsion and circumpulsion.
Tail rigidity became apparent at the 100 mg./kg range.
Camp *et al.* 1964

Rats (weighing 75, 80, 140 and 150 grams each) were killed by intraperitoneal injection (of 50, 100, 42.5 and 42.5 mg, respectively,) of the hydrochloride salt. Death occurred at 12, 7, 17 and 16 minutes after injection (respectively). Observed effects were progressive dyspnea, clonic convulsions, ophthalmic dilation, incoordination of posterior limbs and cyanosis. Post-mortem revealed only congestion of abdominal viscera.
Camp & Lyman 1956

Sympathomimetic.
Barger & Dale 1910 determined that it increase blood pressure in decerebrated cats 0.2-0.3% as much as adrenaline [Reti 1950 & 1953]

Camp & Moore 1960 presented both a synthetic route and also a quantitative analytical procedure for N-Methyl phenethylamine.

Chromophores with tlc reagents:
Fluorescamine (under UV) - Dark purple
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975
Reacts with Dragendorff's reagent as a red spot. (Solvent system: n-butanol:acetic acid: water, 100:4:saturated).
Camp & Lyman 1956

Fluoresced lime-green with dansyl chloride.
Tetrazotized benzidine white chromophore.
Dingerdissen & McLaughlin 1973a

IR 2940, 2760, 1600. 1501, 1480, 1315, 1080, 1055, 1020, 945, 890, 780, 740, 690 cm^{-1}
Also NMR & MS
Dingerdissen & McLaughlin 1973a

N-Methyl-phenethylamine is separable by steam distillation, and can be further purified by passing through an Amberlite IRC-50(H) ion exchange column (eluting with 5% HCl).
Dingerdissen & McLaughlin 1973b recovered as the hydrochloride by dissolving the residue from the nonphenolic fraction in anhydrous ethanol, acidifying with 5% HCl (w/w) in anhydrous ethanol and inducing crystallization by adding anhydrous diethyl ether. Dingerdissen & McLaughlin 1973a recovered from preparative TLC plates using ammoniacal ethanol and isolated as the hydrochloride by acidifying with anhydrous hydrogen chloride in absolute ethanol (5% w/w) and adding ether (dropwide) to induce crystallization.

N-Methylphenethylamine occurs in numerous plants including a number of *Acacia* species.
See: Clement *et al.* 1997 & 1998 (Accounts questionable), Smith 1977a and White 1954 & 1957

The first reported occurrence of N-Methylphenethylamine was in ***Arthrophytum leptocladum*** M. Popov (Chenopodiaceae) where it has been reported to co-occur with N-Methyltryptamine and the β-carboline, Leptocladine.
Reti 1950 & 1953 (citing Yurashevskii 1939 & 1941) Also mentioned in White 1954.

N-Methylphenethylamine has been reported from the following cacti:
Dolichothele sphaerica (Dietrich) Br. & R.
Dingerdissen & McLaughlin 1973a (0.0411% by dry weight) co-tlc, mp, mmp, ir, nmr, ms
Dingerdissen & McLaughlin 1973c (Recovered via preparative tlc)
[Also by Keller 1982]
Dolichothele surculosa (Boed.) F.Buxb.
Dingerdissen & McLaughlin 1973b (0.25% dry weight) mp, mmp, tlc, ir, nmr, ms
Gymnocactus aguirreanus Glass & Foster
West *et al.* 1974 (trace) tlc
Gymnocactus beguinii (Web.) Backbg.
West *et al.* 1974 (trace) tlc
Gymnocactus horripilus (Lem.) Backbg.
West *et al.* 1974 (0.17% by dry weight) mp, mmp, ir, tlc
Gymnocactus knuthianus (Boed.) Backbg.
West *et al.* 1974 (trace) tlc
Gymnocactus mandragora (Frič) Backbg.
West *et al.* 1974 (trace) tlc
Gymnocactus roseanus (Boed.) Glass & Foster
West *et al.* 1974 (trace) tlc
An additional specimen, collected from El Chiflon, Mexico, thought to be a variety of ***G. roseanus***, was found to contain 0.04% by dry weight. mp, mmp, ir, tlc.
Gymnocactus viereckii (Werd.) Backbg.
West *et al.* 1974 (trace) tlc
Pelecyphora aselliformis Ehrenberg, has been listed **erroneously**.
The reference cited, Neal *et al.* 1972, ran this alkaloid as its dansyl-derivative using pure reference material. It was **not** reported in the plant.

The α-methyl analog, **α-methyl-N-methylphenethylamine**, AKA methamphetamine, has been reported in the Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (20.1 ppm in early Spring / 11.5 ppm In late Autumn) (This account is questionable.)
Acacia rigidula Bentham.
Clement *et al*. 1998 reported no detection in early Spring but 12.4 ppm late Autumn. (This account is questionable.)
Both fresh wt. mixed leaves, petioles & tender stems. gc-ms

N,N-Dimethylphenethylamine

Also known as β-Phenethyldimethylamine and N,N-Dimethyl-β-phenethylamine.

If this has **any** psychoactivity it would probably be limited to simple mild stimulation.

Free base
mp 163.5-165.5º crystals from Ethanol-Ether (Synthesized)/ mp 164-166º (Reference) Ranieri & McLaughlin 1977

Synthesis:
from β-phenethylamine; see Icke & Wisegarver 1955.
from Ubine; see Ranieri & McLaughlin 1977.

Surprisingly, we have not yet located any report of its natural occurrence in any cactus species.

The only reported occurrences in nature thus far appears to be in the Leguminosae
Acacia berlandieri Bentham
99.1 ppm in early Spring rising to 604.4 ppm in late Autumn Clement *et al.* 1997 (This account is questionable.)
Acacia rigidula Bentham
123.6 ppm in early Spring rising to 724.5 ppm in late Autumn.
Clement *et al.* 1998 (This account is questionable.)
Concentration by fresh wt. in mixed leaves, petioles & tender stems. Identified by gc-ms.

The α-Methyl analog, **N,N-Dimethyl-α-methylphenethylamine**, (AKA the stimulant Dimephenopan) was similarly reported in the Leguminosae:
Acacia berlandieri Bentham
Clement *et al.* 1997 (45.6 ppm in early Spring / 229.7 ppm in late Autumn (This account is questionable.)
Acacia rigidula Bentham.
Clement *et al*. 1998 reported 57.6 ppm early Spring/ 394.2 ppm late Autumn (This account is questionable.)
Both by fresh wt. in mixed leaves, petioles & tender stems.
gc-ms

Ubine

β-Hydroxy-N,N-dimethylphenethylamine;
2-Dimethylamino-1-phenylethanol;
α-[(Dimethylamino)methyl]benzenemethanol, 9CI;
α-[(Dimethylamino)methyl]benzyl alcohol, 8CI;
N,N-Dimethyl-1-phenylethanolamine;
N,N-diMe-β-OH-PEA.

Chemical Abstract Registry Number: [6853-14-1]
Southon & Buckingham 1989; entry D-00382

$C_{10}H_{15}NO$
MW 165.235

Absolute configuration: Angeloni *et al.* 1977

Ubine:
(R)-form:
[CA Reg. No.: 34469-09-5]
Free base:
$bp_{0.15}$ 58-60º Southon & Buckingham 1989
$[\alpha]^{25}_D$ –62.5º (c, 1.0 in MeOH) Southon & Buckingham 1989
$[\alpha]^{25}_D$ –74º Ranieri & McLaughlin 1977
$[\alpha]^{25}_D$ –78.8º Tomina *et al*. 1971 [cited by Ranieri & McLaughlin 1977]
n_D^{25} 1.5164 Southon & Buckingham 1989
[Synthetic (–)-form: $[\alpha]_D$ –43.5º.
Free base was light amber oil.
Soluble in chloroform.
Meyer *et al.* 1983]

Hydrochloride was initially a thick oil, after several recrystallizations (from IPA-Ether, then EtOH-Ether),
mp 113-114º (Isolated: colorless, hygroscopic, needles)
mp 147-148.5º (synthetic (+)-form)
Ranieri & McLaughlin 1977
mp 113.5º (mp 144-145º (+)-form) Tomina *et al*. 1971 [cited by Ranieri & McLaughlin 1977]

NMR, MS, IR: Ranieri & McLaughlin 1977

MIKE spectra: Kruger *et al.* 1977

Isolation: Ranieri & McLaughlin 1977

Chromophores with tlc reagents:
No reaction with Fluorescamine or Dansyl-Chloride.
Overspray with Iodoplatinate gave a purple color.
Use of TZB on developed tlc plate gave a cream color.
Ranieri & McLaughlin 1977

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.86 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1977

[(S)-form:
[2202-69-9]
Hydrochloride:
[939-45-7]
mp 113.5º
$[\alpha]^{23}_D$ +77.6º (c, 0.1 in EtOH)
(Synthetic)]

[(±)-form:
[2202-68-8]
Hydrochloride:
[1797-76-8]
mp 144-145º
(Synthetic)]
Southon & Buckingham 1989

Synthesis:
Cocolas *et al.* 1971
Ranieri & McLaughlin 1977

(–)-Ubine has been reported from:
Dolichothele longimamma (DeCandolle) Britton & Rose
Kruger *et al.* 1977 (no quantification) MIKES
[Ranieri & McLaughlin 1976 did **NOT** observe]
Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 (Major alkaloid. 0.24% by dry weight) mp, nmr, ms, tlc.

N,N,N-Trimethyl-phenethyl-ammonium hydroxide was reported in mixed leaf, petioles and tender stems of the Leguminous ***Acacia berlandieri*** Bentham by Clement *et al.* 1997 (It was not detected in early Spring / 23.6 ppm in late Autumn) (This account is questionable.)
Identified by gc-ms; its identity was inferred by the presence of the corresponding styrene.
This seems to be the only report in nature.

(+) Coryphanthine

β-Methoxy-N,N,N-trimethylphenethylamine;
β-Methoxy-dehydroxycandicine.

Chloride:
Hygroscopic needles from Methanol-Acetone; mp 159-162º.
$[\alpha]_D$ +87.5º (c 2.9, methanol) [Isolated material]
MEYER *et al.* 1983

Synthesis of (–) and (+) forms: MEYER *et al.* 1983

(–)-form: $[\alpha]_D$ +62.0º (c=2.3, water)
(+)-form: $[\alpha]_D$ –65.8º (c=3.0, water)
[mp of chloride (from Methanol-Acetone) 161-162º (sealed evacuated tube)]
^{1}H-nmr, sims and ir were identical for (+) and (–)
(+)-form was determined to occur in the plant.
MEYER *et al.* 1983

Brine shrimp assay to evaluate nicotine agonist activity showed it more potent than candicine.
MEYER *et al.* 1983

(+)-Coryphanthine has been reported from *Coryphantha greenwoodii*
DAVIS *et al.* 1983 (Observed) (California)
MEYER *et al.* 1982
MEYER *et al.* 1983 (0.022%) (USA)

The published listing of 3-Methoxyphenethylamine from *Backebergia militaris* (AUDOT) BRAVO ex SANCHEZ MEJORADA was **an error** based on a typo in FERRIGNI *et al.* 1984.
3-Methoxyphenetlyamine does not appear to have been reported from nature.
While no humans trials have been reported in the published literature, it has been assigned the Edgewood Arsenal code number of EA-1302.
SHULGIN & SHULGIN 1991: page 818

The published listing of 3-Methoxy-β-hydroxyphenethylamine from *Pereskia tampicana* WEBER was similarly **erroneous**.
DOETSCH *et al.* 1980, the reference cited, did not report this compound.

Tyramine

p-(2-Aminoethyl)-phenol, *p*-(β-Aminoethyl)-phenol;
4-(2-Aminoethyl)phenol, 9CI; 2-(*p*-Hydroxyphenyl)-ethylamine;
2-*p*-Hydroxyphenylethylamine; *p*-β-aminoethylphenol;
α-(4-hydroxyphenyl)-β-aminoethane; 4-Hydroxyphenethylamine;
p-Hydroxyphenethylamine; Tenosin-Wirkstoff (Bayer); Tyramin;
p-Tyramine; Tyrosamine; Tyrosamin (Pitman-Moore, Merck).

HCl: Mydrial (Winzer); Systogen(e); Tocosin(e); Tokosin; Tyrosam; Uteramin(e) (Zyma).

WLN: Z2R DQ
Hayward: 6R(CCZM)RRR(CF3)RR
USDIN & EFRON 1979 #1194

CA Reg. No: 000051672 [51-67-2]
NIOSH # SJ 5950000.
SOUTHON & BUCKINGHAM 1989 # T-00406

$C_8H_{11}NO$
MW 137.18
MERCK 9th #9489 and #14619 in CRC 1980-1981
[**BEILSTEIN ref B13^3, 1637**]
MW 137.2 CLARKE 1986 (page 1058)
Free base:
Hexagonal leaflets from water. mp 161º BARGER 1909a.
mp 160º ROSENMUND 1909
White hexagonal leaflets from alcohol mp 161º RETI 1950 & 1953
Plates or Needles (Benzene), crystals (EtOH), Needles (H2O)
mp 161-162º KINDLER & PESCHKE 1932a
mp 164-165º CRC 1980-1981 & CLARKE 1986
mp 164-164.5º (161º) SOUTHON & BUCKINGHAM 1989
Crystals from benzene or alcohol mp164-165º
bp_{25} 205-207º bp_2 166º
Alkaline reaction
MERCK 9th
bp 161º at 2mm
bp 175-178º (8mm)
RETI 1950 & 1953
bp 175-181º at 8 mm
BARGER 1909a
bp 138-140º at 20 mm
BARGER & WALPOLE 1909
bp 205-207^{25}, 165-167^2 CRC 1980-1981.

pKa 9.5 (phenol); 10.8 (amine) CLARKE 1986

Soluble in alcohol and xylene CRC 1980-1981.
Soluble in hot ethanol, less so in hot water, much less in boiling xylene
Hardly soluble in cold xylene.
Barger 1909a
Barger recommends xylene as the solvent of choice for recrystallization as it dissolves many impurities well.
Sparingly soluble in benzene and xylene Merck 9th
At 15º one part is soluble in 95 parts water / similarly soluble in 10 parts hot alcohol.
Slightly soluble in amyl alcohol, much less soluble in ether or chloroform
Reti 1950 & 1953 (Koessler & Hanke 1919 used amyl alcohol to extract.)
1 gram is soluble in 95 grams of water.
1 gram is soluble in 10 ml of boiling Ethanol.
Clarke 1986

Hydrochloride:
MW 173.6
CA Reg. No.: 60-19-5 Clarke 1986
mp 256-261º Bruhn & Lindgren 1976
mp 264-266º (isolated)/ 266-267º (reference) (mmp 265-266º) (crystallized from absolute Ethanol-Ether)
Pardanani *et al.* 1977
mp 268-273º (isolated)/ 275-276º (reference)
Pardanani *et al.* 1978
mp 269º Crystals from Alcohol-Ether Merck 9th
mp 206º Barger & Walpole 1909
mp 268-269º (from conc. HCl) Reti 1950 & 1953
mp 264-269º (experimental and also mmp) mp. 266-269º (Reference material) Lee & McLaughlin 1975
mp 269º Southon & Buckingham 1989
mp 269º Durand *et al.* 1962
mp 269-270º (reference material 269º) Mata *et al.* 1976a
mp~270º (decomp.) Clarke 1986
mp 273-275º Neal *et al*. 1971
Pure white "fluffy, glistening needles." (from acidified ethanol)
mp 280 (becoming brown liquid) Koessler & Hanke 1919
Soluble in water with neutral reaction. Merck 9th
Very water soluble Reti 1950 & 1953
Mata *et al.* 1976a reported that it crystallized more easily from Methylene chloride than it did from 5% HCl in Ethanol followed by precipitation with Ether)
Water and HCl removed by distillation *in vacuo* at 50º.
Koessler & Hanke 1919

Picrate:
Short prisms
mp 200º Barger 1909a
mp 206º Reti 1950 & 1953

Oxalate
mp 203-204º Reti 1950 & 1953

Adrenergic
Merck 9th
Sympathometic
Usdin & Efron 1979 cited Merck 7th
Stimulant.
Shulgin 1976 p. 91 cited McLaughlin & Paul 1965 & 1966.
Barger & Dale 1910 determined that it increased blood pressure in decerebrated cats 1% as much as adrenaline
Reti 1950 & 1953
Hypertensive action: Durand *et al.* 1962
Some interesting pharmacological observations can be found in Weiner *et al.* 1962

Sympathomimetic & diagnostic agent.
Has been administered to humans orally at levels of up to 250 mg per day, in the study of migraines. Clarke 1986

An interesting property of tyramine is that, unlike most phenethylamines, it inhibits the oxidation of sodium succinate. Quastel & Wheatley 1933

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach] (Tyramine is a good inhibitor); see Martin & Downer 1989
LD_{50}: 300 mg/kg/iv/Rabbit
Usdin & Efron 1979 cites Merck Index 7th [130 mg/kg/ip was not fatal to mice. Dessi & Labó 1950]

Crystal structure: Tamuara *et al.* 1974
MS: Kruger *et al.* 1977 and Milne *et al.* 1973
UV Kappe & Armstrong 1965
PMR Lambert *et al.* 1975.
Southon & Buckingham 1989

GC, HPLC, UV, IR, MS Clarke 1986

Synthesis:
Barger 1909a [pages 1127-1128.]
Barger & Walpole 1909a & 1909b
Buck 1933a & 1933b
Kindler & Peschke 1932a
Koessler & Hanke 1919
Kondo & Shinozaki 1929
Rosenmund 1909
Slotta & Altner 1931
Waser 1925
Most included by Reti 1950

Assay: Usdin & Efron 1979 cites
Agurell 1969b.
Clarke 1969
Udenfriend & Cooper 1952
Moffat & Horning 1970
In urine: Clarke 1986 cited Coutts *et al.* 1980

Color reactions, Chromatography and Electrophoresis: Rabitzsch 1959
Gives positive reaction with Millon's test
Reti 1953 & 1950.
Positive phenol reaction with diazotised sulphanilic acid
Fluorescein chloride (test for primary amines) Durand *et al.* 1962
p-Dimethylaminobenzaldehyde: Orange/violet
Marquis test: Brown→green
Visualized in tlc with using an acidified Potassium permanganate solution.
Clarke 1986
Color with:

Diazotized p-nitroaniline + sodium carbonate	Red
Diazotized sulfanilic acid + sodium carbonate	Violet (light)
Dichloroquinone-chlorimide+ sodium carbonate	No reaction

Erspamer 1959

Chromophores with tlc spray reagents:
Identified in tlc by the fluorescence of its Dansyl conjugate and formation of a characteristic yellow chromophore with tetrazotized benzidine reagent.
Yellow with 0.1% aqueous tetrazotized dl-O-anisidine (TDA) Kapadia *et al.* 1968 [Kapadia may be **in error**; should be yellow or brown with nonphenolics]
O-Dianisidine reagent- Purple (as equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water)
Lundström & Agurell 1967
Fluorescamine (under UV) - Aquamarine
Dansyl-chloride overspray (under UV) - Aquamarine (unchanged from Fluorescamine conjugate; would be yellow if Dansyl-Cl used alone.)
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975
Visualized with Fluorescamine and with TZB (tetrazotized benzidine) in Pardanani *et al.* 1977

Paper chromatography
Rf of Tyramine base on Whatman 1 paper:
0.61 *n*-Butanol-glacial Acetic acid-Water (4:1:5)
Durand *et al.* 1962

Tyramine picrate:

Solvent system	RF
A	0.57
B	0.64
C	0.43

A: n-Butanol - Acetic acid - H2O (4:1:5)
B: n-Butanol - 25% Methylamine (8:3)
C: Distilled H2O
On Whatman No. 1 paper.
Erspamer 1959

Rf 0.43 on Merck Kieselgel 60 F 254. Developed in: Ether-Methanol-25% Ammonium hydroxide (17:2:1)
Aquamarine with 0.002% solution of Fluorescamine in water-free acetone as tlc spray. Viewed under 360 nm UV
Wagner & Grevel 1982a

tlc of Tyramine hydrochloride on Silica Gel G:

Rf	Solvent system
0.20	n-Butanol-glacial Acetic acid-Water (4:1:1)
0.45	Chloroform-Acetone-Diethylamine (5:4:1)
0.11	Chloroform-Diethylamine (9:1)
0.27	Chloroform-Ethanol-conc. NH_4OH (24:6:0.23)
0.47	Chloroform-Ethanol-Diethylamine (17:1:2)
0.18	Chloroform-Methanol-conc. NH_4OH (80:20:1)
0.11	Cyclohexane-Chloroform-Diethylamine (5:4:1)
0.41	Pyridine-conc. NH_4OH (9:1)

Speir *et al.* 1970

During preparative tlc on 1 mm thick plates of $SGPF_{254}$ [using Ethyl ether-Methanol-conc. NH_4OH (17:2:1)], West & McLaughlin 1973 recovered the alkaloids by scraping the spots from the plates, mixing with 30 ml of 5% concentrated NaOH in absolute Ethanol and vacuum filtering to remove the silica gel.
This was done twice and the resulting solution concentrated and streaked onto additional plates [developed in Chloroform-Acetone-conc. NH_4OH (10:8:1)] if separation was insufficient. (Required to separate Tyramine from N-Methyltyramine)
Once satified with separation they reduced the eluates to dryness and redissolved in a small bit of 0.5N HCl.
The Rf values below refer to purifed but not crystallized materials.

Rf values reported in tlc of Tyramine HCl on Silica Gel:
Rf values as average of three determinations run on the same plate

Solvent	**Reference**	**Isolated**	**Mixed**
A	0.27	0.25	0.25
B	0.23	0.23	0.23
C	0.09	0.08	0.09
D	0.35	0.33	0.35
E	0.81	0.77	0.79

Solvent Systems
A: Ethyl acetate-Methanol-conc. NH_4OH (17:2:1)
B: Chloroform-Ethanol-conc. NH_4OH (15:20:2)
C: Chloroform-Methanol-conc. NH_4OH (18:1:1)
D: Chloroform-Acetone-Diethylamine (5:4:1)
E: Ethyl ether-Acetone-Methanol-conc. NH_4OH (9:8:2:1)
West & McLaughlin 1973

tlc

Silica gel G (250 μm thick) previously dipped or sprayed using 0.1M Potassium hydroxide & Methanol (and dried).
Methanol-conc. Ammonium hydroxide
(100:1.5) Rf 0.31
Clarke's 1986

Base eluted from alumina with acetone (column using 100 gm of alumina per gm of base) Durand *et al.* 1962

Tyramine has been found in:

(Widely distributed in many families of plants. *See* Boit 1961; Clement *et al.* 1997 & 1998 (Accounts questionable), Raffauf 1970; Smith 1977a; Wheaton & Stewart 1970; Willaman & Schubert 1961 (View all of these lists with care. Check their references.) For occurrence in mistletoe (0.1% by dry wt. in *Phoradendron watti* Kr. & Urb.) see Durand *et al.* 1962; in other *Phoradendron* spp.: Crawford & Watanabe 1914 & 1916]

Also in cephalopod salivary or venom glands. Reti 1953

Isolated from the posterior salivary glands of the octopoda: E*ledone moschata*, *Octopus macropus* & *Octopus vulgaris* Erspamer & Boretti 1951

Apocynaceae

Stapelia gigantea N.E.Brown.
Meyer *et al*. 1981

Cactaceae

Ariocarpus trigonus (Weber) Schumann has been listed **in error**; the reference cited, Speir *et al.* 1970, did not report it from this species.

Azureocereus ayacuchensis Johns
Lee & McLaughlin 1975 (0.135% by dry weight; as hydrochloride) mp, mmp, tlc, ir

Carnegiea gigantea (engelmann) Britton & Rose, is **erroneously** listed for this species. The claim is not supported by Agurell 1969c (the reference that was cited).

Cereus aethiops Haworth
Ruiz *et al.* 1973 (%?)

Cereus forbesii O.
Agurell 1969c (Sole alkaloid. Over 50 mg/ 100 gm of fresh plant) ir, nmr, ms

Cereus glaucus SD.
Agurell 1969c (Over 50% of 1-10 mg total alkaloids/ 100 gm of fresh plant) glc

Cereus jamacaru DeCandolle
Bruhn & Lindgren 1976 (Isolated 0.2% by fresh wt. as crude alkaloid and determined it to contain only one alkaloid by tlc and gc. Were only able to recover less than 10% of this (0.02%) as the hydrochloride.) mp, gc, ir, ms.

Cereus peruvianus (Linnaeus) Miller
Agurell 1969c (trace) glc

Cereus peruvianus *formae* ***monstrosus*** DeCandolle
Agurell 1969c (over 50% of 10-50mg total alkaloids/ 100 gm of fresh plant) ir, ms

Cereus validus Haw.
Nieto *et al.* 1982 (0.023%: branches; 0.377%: green fruit; 0.382%: ripe fruit; All by dry wt.) mp, mmp, tlc, ir, nmr

Coryphantha macromeris var. ***runyonii*** L.Benson
Agurell 1969c (trace) glc [as *Lepidocoryphantha runyonii*]
Keller *et al.* 1973 (0.0001fresh wt.) tlc, mp, ir
Keller *et al.* 1978 (co-tlc)

Coryphantha missouriensis (Sweet) Britton & Rose
Pummangura *et al.* 1981 (trace) ci-ms, tlc.

Echinocereus merkerii Hildm.
McFarlane & Slaytor 1972b (reported) tlc, ir, nmr

Echinopsis rhodotricha K.Schum.
Agurell *et al.* 1971b (10-50% of the traces of alkaloid present) tlc, gc, glc-ms.

Epithelantha micromeris (Engelmann) Weber
Štarha 1994 (0.0003% by fresh wt.) gc-ms
Štarha 1995b (Less than 0.001% fresh wt. was isolated) gc-ms

Espostoa huanucensis Ritter
Mata *et al.* 1976a (0.002% by dry weight) tlc, mp, mmp,ir.
Mata *et al.* 1976b (Identified) tlc

Gymnocalycium achirasense Till & Schatzl
Štarha *et al.* 1998 (0.00159% [± 0.00008] by fresh wt.) gc, gc-ms

Gymnocalycium albispinum Backeberg
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium anisitsii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium asterium Ito
Štarha *et al.* 1998 (0.00089% [± 0.00013] by fresh wt.) gc, gc-ms

Gymnocalycium baldianum Speg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium bayrianum Till.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium boszingianum Schütz
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium calochlorum Ito
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium cardenansianum Ritter
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (0.00007% [± 0.00003] by fresh wt.) gc, gc-ms

Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium comarapense Backeberg
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms

Gymnocalycium curvispinum Frič
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium delaetii Backbg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00066% [± 0.00006] by fresh wt.) gc, gc-ms

Gymnocalycium friedrichii Paz.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium horridispinum Frank
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium leeanum Br. & R.
DeVries *et al.* 1971 (Uruguay 0.00583%) [from Shulgin]

Gymnocalycium marsoneri (Frič) Ito
Štarha *et al.* 1997 (Less than 0.0001% by fresh weight) gc, gc-ms

Gymnocalycium mazanense Backbg.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium megalotheles Br. & R.
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium mihanovichii Br. & R.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00077% [± 0.0001] by fresh wt.) gc, gc-ms
Gymnocalycium netrelianum Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00047% [± 0.00005] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00047% [± 0.00004] by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii (Vaupel) Werdermann
Štarha 1996 (Approx. 0.001% by fresh wt.)
Gymnocalycium pungens Fleischer
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (0.00009% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Card.
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione (Cels) Br & R.
Nieto *et al.* 1982 (0.027% dry wt.) mp, mmp, tlc, ir, nmr
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii Br. & R.
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buin.
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms
Islaya minor Backeberg (T.)
Doetsch *et al.* 1980 tlc. (Used fuorescamine conjugate)
Helianthocereus huascha (Weber) Backeberg *See* as ***Lobivia huashua***
Islaya minor Backeberg (T.)
Doetsch *et al.* 1980 (no quantification) tlc
Lobivia allegriana Backeberg
Follas *et al.* 1977 (trace) tlc.
Lobivia aurea (Britton & Rose) Backeberg
Follas *et al.* 1977 (trace)
Lobivia backebergii (Werdermann) Backeberg
Follas *et al.* 1977 (trace) tlc.
Lobivia binghamiana Backeberg
Follas *et al.* 1977 (trace) tlc.
Lobivia huashua (Weber) W.T.Marshall
Follas *et al.* 1977 (trace) tlc.
Lobivia pentlandii (Hooker) Britton & Rose
Follas *et al.* 1977 (trace) tlc.
Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms.
Lophophora diffusa var. ***koehresii*** Říha
Štarha & Kuchyna 1996 (0.04% [± 0.01] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (0.1% & 0.1% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293]**
Lophophora jourdaniana Habermann
Štarha 1997 (0.6% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex**.**
Štarha & Kuchyna 1996 (0.03% [± 0.01] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii (Lemaire) Coulter
McLaughlin & Paul 1966 (0.001% in dried material from Penick and also freshly dried Mexican plants.)
Lundström 1971a found traces.
Lundström 1972 observed in glc
[Also in Habermann 1978b (from Štarha *nd*)]
Mammillaria elongata DeCandolle
West & McLaughlin 1973 (trace) tlc
Mammillaria microcarpa Engelm.
Knox *et al.* 1983 (April harvest; Arizona: 0.0064% (± 0.0033) in chlorophyllous tubercles, 0.014% (± 0.0099) in cortex tissue, 0.004% (± 0.0028) in vascular tissue and 0.0029% (± 0.0017) in the root.) HPLC
[Knox & Clark 1986 found it to be present in all of their samples]
Melocactus delessertianus Lemaire
Doetsch *et al.* 1980 (no quantification) tlc, HPTLC
Melocactus maxonii (Rose) Gürke
Doetsch *et al.* 1980 (no quantification) tlc, HPTLC

Obregonia denegrii Frič
Bruhn & Bruhn 1973 (Major alkaloid. Over 50% of 1-10 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms
Neal *et al.* 1971a (0.003% by dry weight) tlc, ir, mp, mmp. [Also reported in Habermann 1974a (from Štarha *nd*)]
Opuntia clavata Engelmann
Vanderveen *et al.* 1974 (trace)
Opuntia ficus-indica (Linnaeus) Miller
El-Moghazy *et al.* 1982 (%?)
Opuntia imbricata Haw.
Meyer *et al.* 1980 (no quantification) tlc, ms
Opuntia invicta Brandegee
Meyer *et al.* 1980 (no quantification) tlc
Opuntia kleiniae DeCandolle
Meyer *et al.* 1980 (no quantification) tlc
Opuntia schottii Engelm.
Meyer *et al.* 1980 (no quantification) tlc, ms
Opuntia spinosior (Engelmann) Toumey
Pardanani *et al.* 1978 (0.0018% dry weight) tlc, mp, ir, ms.
Opuntia stanlyi Engelmann var. ***kunzei*** (Rose) L.Benson
Meyer *et al.* 1980 (no quantification) tlc
Opuntia stanlyi var. ***stanlyi*** Engelmann
Meyer *et al.* 1980 (no quantification) tlc
Opuntia versicolor Engelmann
Meyer *et al.* 1980 (no quantification) tlc
Pelecyphora aselliformis Ehrenberg
Štarha 1994 (Less than 0.0001% by fresh wt.) gc-ms
Pelecyphora pseudopectinata Backeberg
Štarha *et al.* 1999a (3.18% [± 0.19] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Pereskia aculeata Miller
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia autumnalis (Eichlam) Rose
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia corrugata Cutak
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia cubensis Britton & Rose
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia godseffiana (Sand.) Knuth
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia grandiflora Hort.
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia grandifolia Haw.
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia pititache (Karwinsky) Britton & Rose
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia tampicana Web.
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskiopsis chapistle (Web.) Britton & Rose
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskiopsis scandens Britton & Rose
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pilocereus maxonii (Rose) Knuth
Pummangura *et al.* 1977 (trace) tlc.
Pseudolobivia kermesina Krainz
Follas *et al.* 1977 (0.0002% by dry weight) tlc, ms.
Selenicereus grandiflorus (L.) Br. & R. [AKA *Cactus grandiflorus*]
Wagner & Grevel 1982a (0.3% dry wt.) tlc, uv, ms
[Petershofer-Halbmeyer *et al.* 1982 did not observe; they reported hordenine instead]
Stetsonia coryne (SD.) Br. & R.
Agurell *et al.* 1971b (10-50% of 1-10 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, glc-ms
Trichocereus bridgesii (SD) Br. & R.
Agurell 1969c (1-10% of over 50 mg total alkaloids/ 100 gm of fresh plant) ms
Trichocereus camarguensis Card.
Agurell 1969c (trace) ms
Trichocereus courantii (K.Schum.) Backbg.
Agurell *et al.* 1971b (1-10% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus cuzcoensis Br. & R.
Agurell *et al.* 1971b (1-10% of over 50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Lindgren *et al.* 1971 (trace) glc-ms
Trichocereus fulvilanus Ritter
Agurell *et al.* 1971b (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus knuthianus Backbg.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus macrogonus (SD.) Ricc.
Agurell 1969c (1-10% of 10-50 mg total alkaloids/ 100 gm of fresh plant) ms
Trichocereus manguinii Backbg.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus pachanoi Br. & R.
Agurell 1969b (trace) gc-ms.
Agurell 1969c (trace) ms
Trichocereus pasacana (Web.) Br. & R.
Meyer & McLaughlin 1980 (no quantification) tlc.
Trichocereus peruvianus Br. & R.
Agurell 1969c (main alkaloid; over 50% of 1-10 mg total alkaloids/ 100 gm of fresh plant- mescaline was **not** reported. Two minor unknowns were present.) ms [Obtained via European commercial sources]
Pardanani *et al.* 1977 (0.0085% by dry wt) tlc, mp, mmp, ir. [Grown from seed in California]
Trichocereus purpureopilosus Wgt.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus santiaguensis (Speg.) Backbg.
Agurell *et al.* 1971b (10-50% of 1-10 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus skottsbergii appears listed but included no reference.
Trichocereus strigosus (SD) Br & R.
Nieto *et al.* 1982 (trace) mp, mmp, tlc, ir, nmr
Trichocereus tunariensis Card.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus werdermannianus Backbg.
Agurell 1969b (trace) gc-ms
Agurell 1969c (trace) ms
Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (1.82% [± 0.17] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (0.98% [± 0.18] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (5.46% [± 0.14] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Štarha *et al.* 1999a (2.59% [± 0.13] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus *var.* ***flaviflorus*** (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (3.08% [± 0.08] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (2.92% [± 0.25] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Also found in putrefied animal tissues and in the urine of Parkinson's disease patients. Southon & Buckingham 1989
For reported occurrences in human body fluids: see Davis 1989

m-Tyramine

This alkaloid is not covered but some of its color reactions and reported chromatographic behavior are below.

Color with:
Diazotized p-nitroaniline + sodium carbonate Orange
Diazotized sulfanilic acid + sodium carbonate Purple
Dichloroquinone-chlorimide+ sodium carbonate Sky blue

Paper chromatography:

Solvent system	RF
A	0.61
B	0.62
C	0.41

A: n-Butanol - Acetic acid - H2O (4:1:5)
B: n-Butanol - 25% Methylamine (8:3)
C: Distilled H2O
On Whatman No. 1 paper.

Erspamer 1959

The α-Methyl analog of Tyramine, **α-methyl-4-hydroxyphenethylamine**, AKA *p*-Hydroxyamphetamine, was reported in the Leguminosae:
Acacia berlandieri Bentham
8.0 ppm early Spring/ 7.3 ppm late Autumn (gc-ms)
Clement *et al.* 1997 (This account is questionable.)
Acacia rigidula Bentham.
2.1 ppm early Spring/ 6.9 ppm late Autumn (gc-ms)
Clement *et al*. 1998 (This account is questionable.)
Both by fresh wt. in mixed leaves, petioles & tender stems.]

It was reported in the **Cactaceae** in
Browningia candelaris (Meyen) Britton & Rose
0.033% dry weight in aerial parts.
Echeverría & Neimeyer 2012

Octopamine

β,4-Dihydroxyphenethylamine; β-Hydroxytyramine; α-(Aminomethyl)-*p*-hydroxybenzyl alcohol; ND50; Nordasma; Norden; Norphen (Byk.); Norsympathol; Norsympathol; Norsynephrin(e); Octopamin; WV 569 (Diwag).

WLN: Z1YQR DQ
Hayward: 6R(CQCZ)RRRQRR
Usdin & Efron 1979 #1161

CA Reg. No.: 000104143

$C_8H_{11}NO_2$

Pharmacological action: Bronchodilator (Usdin & Efron 1979 cited Ippen 1968).
Octopamine is commonly encountered as a primary neurotransmitter in animals which do not use adrenaline.

See: Axelrod & Saavedra 1977

Assay: (Usdin & Efron 1979)
Molinoff & Axelrod 1969
Molinoff *et al.* 1969
Moffat & Hornung 1970

Color with:
Diazotized p-nitroaniline + sodium carbonate Yellow
Diazotized sulfanilic acid + sodium carbonate Wine-Red
Dichloroquinone-chlorimide+ sodium carbonate Sky blue
Erspamer 1959

Paper chromatography:

Solvent system	RF
A	0.47
B	0.34
C	0.42

A: n-Butanol - Acetic acid - H2O (4:1:5)
B: n-Butanol - 25% Methylamine (8:3)
C: Distilled H2O
On Whatman No. 1 paper.
Erspamer 1959

Study of the relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach] by various phenols, catecholamines and indoles; see Martin & Downer 1989

Octopamine has not yet been reported from cacti.
It has been found in:
Amaryllidaceae
Amaryllis vittata Ait. trace levels in leaf. <1 mg. kg fresh wt.
Cyperaceae
Cyperus papyrus L. 17 mg per kg in fresh leaf.
Cyperus rotundus L. 91 mg per kg in fresh leaf.
Liliceae
Liriope spicata Lour 20 mg per kg. fresh wt. [Dried tubers used in Chinese medicine as an inferior quality substitute for *Ophiopogon japonica* tubers.]
Rutaceae
Citrus reticulata Blanco (Tangerine) 24 mg per kg of fresh leaf. 1 mg per kg of fresh fruit.
Citrus reticulata Blanco (Cleopatra mandarin orange) 12 mg per kg of fresh leaf. 2 mg per kg of fresh fruit.
Solanaceae
Capsicum frutescens L. (Bell pepper) 234 mg per kg fresh wt. **CHECK TO BE SURE THAT NONE OF THE-ABOVE m are microgram**
Above are from Wheaton & Stewart 1970

Also in the octopoda:
E*ledone moschata*, *Octopus macropus* & *Octopus vulgaris*
Erspamer & Boretti 1951 (isolated from posterior salivary glands)

Reported occurrences in human body fluids: see Davis 1989

N-Methyltyramine

N-Methyl-4-hydroxyphenethylamine;
4-Hydroxy-N-methylphenethylamine; *p*-Hydroxyphenethyl methylamine; 4-[2-(Methylamino)ethyl]phenol, 9CI; NMT. [It should be remembered that the abbreviation NMT is also used for the alkaloid N-Methyltryptamine and the enzyme N-Methyltransferase.]
Has been variously described as Andirine, Angeline, Geoffroyine, Rhatanine and Surinamine. Reti 1953
Also as Jaxartinine Platonova *et al.* 1958

WLN: QR D2M1
Hayward: 6R(CCNHM)RRRQRR.
#1140 in Usdin & Efron 1979

CA Reg # [370-98-9]
NIOSH # SL 8300000
Southon & Buckingham 1989 # T-00406

$C_9H_{13}NO$
MW 151.208
Free base:
mp. 127-129º Braga & McLaughlin 1969 (Isolated)
mp 130-131º (127-128º) Southon & Buckingham 1989
mp 130-131º Reti 1953

Free base only slightly water soluble.
Prisms from alcohol, leaflets from benzene, stubby needles from anisole. Reti 1953

Hydrochloride:
mp 140-145º; also mp 142-145º (reference material [Isolated from ***Opuntia clavata***] mp 143-147º); also mp 145-146º (reference material 145-147º) Mata *et al.* 1976a
mp 145-146º Braga & McLaughlin 1969
mp (experimental) 146-148º. (Reference material mp 147-150º; mmp 147-150º) Dingerdissen & McLaughlin 1973b
mp 146-148º (mmp 147-150º) Dingerdissen & McLaughlin 1973a
mp 146.5-147.5º (reference material 149.5-150.5º)
Howe *et al.* 1977a
mp 148.5º Reti 1953
mp 148.5-150º Neal *et al.* 1971
Mata *et al.* 1976a crystallized from 5% HCl in absolute Ethanol by adding Ether.
Picrate mp 149º
Picrolonate mp 234-235º
Platinichloride mp 205-206º
N-acetyl-N-methyltyramine is formed from N-methyltyramine and acetic anhydride mp 143º
O-methyl ether hydrochloride mp 181-182º
O-methyl ether picrate 112º
Reti 1953

Synthesis:
Corti 1949
Walpole 1910
Kirkwood & Marion 1950

Stimulant. Shulgin 1976

Barger & Dale 1910 determined that it increased blood pressure in decerebrated cats 1% as much as adrenaline. Reti 1950

MS and MIKES: Kruger *et al.* 1977

IR 3260, 2890, 2810, 1620, 1600, 1530, 1220, and 820 cm-1
Also NMR & MS
Dingerdissen & McLaughlin 1973a

Color reactions, Chromatography and Ionophoresis:
Rabitzsch 1959.

Chromophores with tlc spray reagents:
Fluorescamine (under UV) - Dark purple
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975
Yellow with 0.1% aqueous tetrazotized *dl*-O-anisidine (TDA)
Kapadia *et al.* 1968
Yellow with O-Dianisidine reagent (equal volumes of 0.5% O-dianisidine in dilute HCl and 10% $NaNO_2$ in water)
Lundström & Agurell 1967

tlc of N-Methyltyramine on Silica Gel G:

Rf		Solvent system
Ref. (HBr)	Isol. (Base?)	
0.08	0.08	n-Butanol-glacial Acetic acid-Water (4:1:1)
0.28	0.31	Chloroform-Acetone-Diethylamine (5:4:1)
0.23	0.26	Chloroform-Ethanol-conc. NH_4OH (24:6:0.23)
0.46	0.46	Chloroform-Ethanol-Diethylamine (17:1:2)
0.12	0.13	Chloroform-Diethylamine (9:1)
0.20	0.20	Chloroform-Methanol-conc. NH_4OH (80:20:1)
0.12	0.13	Cyclohexane-Chloroform-Diethylamine (5:4:1)
0.35	0.32	Pyridine-conc. NH_4OH (9:1)

Speir *et al.* 1970

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.37 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1977 (See comments on preparative tlc under Tyramine)

Rf values reported in tlc of N-Methyltyramine hydrochloride on Silica Gel:
[Rf values as the average of three determinations run on the same plate]

Solvent system

	Reference	Isolated	Mixed
A	0.23	0.23	0.23
B	0.41	0.40	0.40
C	0.09	0.08	0.09
D	0.19	0.20	0.20
E	0.44	0.44	0.44

Solvent Systems
A: Ethyl acetate-Methanol-conc. NH_4OH (17:2:1)
B: Chloroform-Ethanol-conc. NH_4OH (15:20:2)
C: Chloroform-Methanol-conc. NH_4OH (18:1:1)
D: Chloroform-Acetone-Diethylamine (5:4:1)
E: Ethyl ether-Acetone-Methanol-conc. NH_4OH (9:8:2:1)
West & McLaughlin 1973

N-Methyltyramine has been reported from:
(Widely distributed in many families of plants *See* Clement *et al.* 1997 & 1998 (Account questionable), Smith 1977a and Wheaton & Stewart 1970. The latter reported this alkaloid only from the Amaryllidaceae and the Rutaceae.

Apocynaceae

Stapelia gigantea N.E.Brown.
Meyer *et al*. 1981

Cactaceae

Ariocarpus fissuratus (Engelmann) K.Schumann
Diaz 1977 (%?)

Ariocarpus fissuratus var. ***fissuratus*** (Engelmann) K. Schumann
McLaughlin 1969 (Visual estimation of 10 mg from 1.92 kg of dry material) tlc.

Ariocarpus fissuratus var. ***lloydii*** (Rose) Marshall
McLaughlin 1969 (Unable to crystallize; no quantification) tlc.

Ariocarpus kotschoubeyanus (Lemaire) Schumann
Neal *et al.* 1971b (By dry weight: 0.015% by percolation versus 0.004% by continuous extraction) mp, mmp, tlc, ir.

Ariocarpus retusus Scheidweiller
Braga & McLaughlin 1969 (0.0016% by dry weight, 18.5 mg from 1.19 kg.) mp, mmp, tlc, ir.

Ariocarpus scapharostrus Bödeker
Bruhn 1975 (no quantification) gc, gc-ms

Ariocarpus trigonus (Web.) K.Schumann
Speir *et al.* 1970 (trace) tlc.

Aztekium ritteri (Boedecker) Boedecker
Štarha 1994 (0.0031% by fresh wt.) gc-ms

Coryphantha calipensis H.Bravo
Bruhn *et al.* 1975 (trace) tlc, gc, gc-ms.

Coryphantha cornifera (DeCandolle) Lemaire
Horneman *et al.* 1972 (no quantification) tlc

Coryphantha cornifera var. ***echinus*** (Engelmann) L.Benson
Horneman *et al.* 1972 (0.0002% by dry weight) tlc

Coryphantha durangensis (Rünge) Br. & R.
Horneman *et al.* 1972 (no quantification) tlc

Coryphantha elephantidens Lemaire
Horneman *et al.* 1972 (no quantification) tlc

Coryphantha macromeris var. ***runyonii*** (Br. & R.) L.Benson
Keller *et al.* 1973 (0.0019% by fresh wt.) tlc, mp, ir
Keller *et al.* 1978 (co-tlc)

Coryphantha missouriensis (Sweet) Br. & R.
Pummangura *et al.* 1981 (0.013% by dry weight) mp, mmp, ir, ei-ms.

Coryphantha ottonis (Pfeiff.) Lem.
Horneman *et al.* 1972 (no quantification) tlc

Coryphantha pectinata (Engelm.) Br. & R.
Horneman *et al.* 1972 (no quantification) tlc

Coryphantha poselgeriana (Dietr.) Br. & R.
Horneman *et al.* 1972 (no quantification) tlc

Coryphantha radians (DC.) Br. & R.
Bruhn *et al.* 1975 (Over 50% of 1-10 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms.

Coryphantha ramillosa Cutak.
Sato *et al.* 1973 (0.043% by dry weight. 5.5% of total alkaloid) tlc, mp, ir

Coryphantha runyonii see as ***Coryphantha macromeris*** var. ***runyonii***

Dolichothele sphaerica (Dietrich) Br. & R.
Dingerdissen & McLaughlin 1973a (0.0115% by dry weight) tlc, mp, mmp, ir, nmr, ms.

Dolichothele surculosa (Boed.) F.Buxb.
Dingerdissen & McLaughlin 1973b (0.134% by dry weight.) tlc, mp, mmp, ir, nmr, ms

Dolichothele uberiformis (Zuccarini) Britton & Rose
Kruger *et al.* 1977 (Identified) MIKES
Ranieri & McLaughlin 1977 (Identified) tlc.

Epithelantha micromeris
Štarha 1994 (0.0004% by fresh wt.) gc-ms
Štarha 1995b (Less than 0.001% fresh wt. was isolated)

Espostoa huanucensis Ritter
Mata *et al.* 1976a (0.002% by dry weight) tlc, mp, mmp,ir.
Mata *et al.* 1976b (Identified) tlc

Gymnocactus aguirreanus Glass & Foster
West *et al.* 1974 (trace) tlc

Gymnocactus beguinii (Web.) Backbg.
West *et al.* 1974 (trace) tlc

Gymnocactus mandragora (Frič) Backbg.
West *et al.* 1974 (trace) tlc

Gymnocactus roseanus (Boed.) Glass & Foster
West *et al.* 1974 (trace) tlc

Gymnocalycium achirasense Till & Schatzl
Štarha *et al.* 1998 (0.00045% [± 0.00006] by fresh wt.) gc, gc-ms

Gymnocalycium albispinum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium asterium Ito
Štarha *et al.* 1998 (0.00012% [± 0.00004] by fresh wt.) gc, gc-ms

Gymnocalycium bayrianum Till.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.

Gymnocalycium boszingianum Schütz
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.

Gymnocalycium calochlorum Ito
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.

Gymnocalycium cardenansianum R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.

Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium comarapense
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium delaetii Backbg.
Štarha 1996 Less than 0.0001 by fresh wt.) gc, gc-ms.
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00061% [± 0.00002] fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium horridispinum Frank
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium leeanum (Hook.) Br. & R.
DeVries *et al.* 1971 (%?)
Gymnocalycium marsoneri (Frič) Ito
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium mazanense Backbg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium megalotheles Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.0001% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00008% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00104% [± 0.00014] by fresh wt) gc, gc-ms
Gymnocalycium pflanzii (Vaupel) Werdermann
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (0.00005% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Cardeñas
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii Br. & R.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Less than 0.0001% fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (0.00005% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buining
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Helianthocereus huascha (Weber) Backeberg See as ***Lobivia huascha***
Islaya minor Backeberg (T.)
Doetsch *et al.* 1980 (no quantification) tlc.
Lobivia allegriana Backbg.
Follas *et al.* 1977 (trace) tlc.
Lobivia aurea (Br. & R.) Backbg.
Follas *et al.* 1977 (trace) tlc.
Lobivia backebergii (Werd.) Backbg.
Follas *et al.* 1977 (0.0008% by dry weight). mp, mmp, ir, tlc.
Lobivia binghamiana Backbg.
Follas *et al.* 1977 (0.0003% by dry weight). mp, mmp, ir, tlc.
Lobivia huasha (Weber) W.T.Marshall
Follas *et al.* 1977 (trace) tlc.
Lobivia pentlandii (Hook.) Br. & R.
Follas *et al.* 1977 (trace) tlc.
Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms.
Lophophora diffusa* var. *koehresii Říha
Štarha & Kuchyna 1996 (Trace of the total alkaloid content) gc, gc-ms;
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (0.1% & 0.1% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (0.5% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex**.**
Štarha & Kuchyna 1996 (0.08% [± 0.01] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii
McLaughlin & Paul 1966 (0.012% in dried cacti from Penick)
Lundström 1971a found traces.
Lundström 1972 observed in glc
Mammillaria elongata De Candolle
West & McLaughlin 1973 (trace) tlc
Mammillaria microcarpa Engelmann
Howe *et al.* 1977a (0.0019% by dry weight) mp, mmp, ir. [March harvest; Santa Cruz Co., Arizona]
Knox *et al.* 1983 (0.0094% (± 0.0028) in chlorophyllous tubercles, 0.025% (± 0.006) in cortex tissue, 0.014% (± 0.0073) in vascular tissue and 0.014% (± 0.0023) in the root) Using HPLC. [April harvest; Tempe, Arizona]
[Knox & Clark 1986 found it to be present in all of their samples]

Mammillaria tetrancistra Engelm.
Knox *et al.* 1983 (0.012% (± 0.0034) in chlorophyllous tubercles, 0.06% (± 0.017) in cortex tissue, 0.022% (± 0.004) in vascular tissue and 0.0094% (± 0.0028) in the root.) Using HPLC. [April harvest; Arizona]

Obregonia denegrii Fric̆
Neal *et al.* 1971a (0.0002% by dry weight) tlc, ir, mp, mmp.
Bruhn & Bruhn 1973 (trace) tlc, gc, glc-ms
[Also reported in Habermann 1974a (from Šťarha *nd*)]

Opuntia clavata Engelm.
Vanderveen *et al.* 1974 (Major base; 0.51%) **zzz**
[Also isolated in Keller 1980]
[Mata *et al.* 1976b isolated and used as reference material]

Opuntia ficus-indica (Linnaeus) Miller
El-Moghazy *et al.* 1982 (%?) [Material growing in Egypt]

Opuntia invicta Brandegee
Meyer *et al.* 1980 (no quantification) tlc

Opuntia kleiniae DC.
Meyer *et al.* 1980 (no quantification) tlc

Opuntia schottii Engelm.
Meyer *et al.* 1980 (0.018%) tlc, ms, ir, mp

Opuntia stanlyi Engelm. var. ***kunzei*** (Rose) L.Benson
Meyer *et al.* 1980 (0.05%) tlc, ms, ir, mp, mmp

Opuntia stanlyi var. ***stanlyi*** Engelm.
Meyer *et al.* 1980 (no quantification) tlc

Opuntia versicolor Engelm.
Meyer *et al.* 1980 (no quantification) tlc

Pelecyphora aselliformis Ehrenberg
Šťarha 1994 (0.0002% by fresh wt.) gc-ms

Pelecyphora pseudopectinata Backeberg
Šťarha *et al.* 1999a (25.15% [± 1.21] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Pilocereus maxonii (Rose) Knuth
Pummangura *et al.* 1977 (trace) tlc.

Solisia pectinata (B.Stein) Br. & R.
Bruhn & Bruhn 1973 (10-50% of 10-50 mg of total alkaloids/100 gm. of fresh plants.) tlc, gc, glc-ms

Stetsonia coryne (Salm-Dyck) Britton & Rose
Agurell *et al.* 1971b (1-10% of 1-10 mg of total alkaloids/100 grams of fresh plant.) tlc, gc, glc-ms [Obtained via European commercial sources]

Trichocereus camarguensis Card.
Agurell 1969c (trace) (glc)

Trichocereus candicans (Gill.) Br. & R.
Mata *et al.* 1976a (0.004% by dry weight) tlc, mp, mmp, ir
[Also in Mata *et al.* 1976b]
[Not observed by Agurell 1969b]

Trichocereus courantii (K.Schumann) Backeberg
Agurell *et al.* 1971b (Over 50% of 10-50 mg of total alkaloids/100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus fulvilanus Ritter
Agurell *et al.* 1971b (10-50% of over 50 mg of total alkaloids/100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus manguinii Backbg.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus pasacana (Web.) Br. & R.
Meyer & McLaughlin 1980 (no quantification) tlc.

Trichocereus purpureopilosus Wgt.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus schickendantzii (Web.) Br. & R.
Agurell 1969c (trace) glc

Trichocereus skottsbergii Backbg.
Agurell *et al.* 1971b (1-10% of 10-50 mg of total alkaloids/100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus spachianus (Lemaire) Riccobono
Mata *et al.* 1976a (0.007% by dry weight) tlc, mp, mmp, ir.
[Also in Mata *et al.* 1976b]
[Not reported by Agurell 1969b]

Trichocereus thelegonus (Web.) Br. & R.
Agurell *et al.* 1971b (trace) tlc, gc, glc-ms.

Turbinicarpus alonsoi Glass & Arias
Šťarha *et al.* 1999b (0.0052 ± 0.0008% dry wt.) gc-ms.

Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Šťarha *et al.* 1999a (0.13% [± 0.11] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Šťarha *et al.* 1999a (Trace detected) gc, gc-ms

Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Šťarha *et al.* 1999a (Trace detected) gc, gc-ms

Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Šťarha *et al.* 1999a (0.51% [± 0.02] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus schmiedickeanus *var.* ***flaviflorus*** (Frank & Lau) Glass & Foster
Šťarha *et al.* 1999a (Trace detected) gc, gc-ms

Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Šťarha *et al.* 1999a (Trace detected) gc, gc-ms

Synephrine

N-Methyl-4-hydroxy-β-hydroxyphenethylamine; N-Methyl-4,β-dihydroxyphenethylamine; β-Hydroxy-N-methyl-4-hydroxyphenethylamine; 4-Hydroxy-α-[(methylamino)methyl]-benzenemethanol; *p*-Hydroxy-α-[(methylamino)methyl]benzyl alcohol; 1-(4-Hydroxyphenyl)-2-methylaminoethanol; *p*-Methylaminoethanolphenol; β-Methylamino-α-(4-hydroxy-phenyl)ethyl alcohol; Methylaminomethyl 4-hydroxyphenyl carbinol; Oxydrine; *p*-Sympatol (It.)

As *p*-Hydroxy-α-[(methylamino)methyl]-benzyl alcohol tartrate: Acordin; Aethahen (Siegfried); Analeptin (Spofa); Cardiodynamin; Corazol; Corvasymptom; Euvasol (Albipharm); Oksedrin; Oxedrin(e); *p*-Oxedrine; Oxedrinum; Oxyphenylmethylaminoethanol; Parasympatol; Pentedrin; Simpalon; Simpatol; Symcoral; Symcorthal; Symcortol; Sympadrin; Sympaethamin; Sympaethaminum; Sympalept; Sympathicus (Wiedenmann); *p*-Sympathol; Sympathomin; Sympatol (Boehringer-Ingelheim, Winthrop); Symphetamin; Synedren; Syncalton; *p*-Synephrine; Synergol; Synthenate (Breon); Vascardyne; Vasocordin (Leo); Vasoton; (USSR, Ufarom).

WLN: QR DYQ1M1

Hayward: 6R(CVCNHM)RRRQRR
Usdin & Efron 1979 #1185

Chemical Abstracts Registry Number: 000094075.
CA Reg. #: [582-84-3] Ranieri & Mclaughlin 1976

$C_9H_{13}NO_2$
MW 167.20 (Merck Ninth #8803.)

Free base:
mp 183-185º (Colorless crystals (racemate) from Ethanol) Same mp for isolated, reference and mmp. Ranieri & Mclaughlin 1976 (Does not specifically state free base)
Crystals mp 184-185º. Stable to light and air. Merck Ninth
Hydrochloride:
mp 148-148.5º (racemic reference material mp 146-147º; mmp 140-141º) [Unable to determine rotation of isolated alkaloid but suspected it to be levo-form] West & McLaughlin 1973
(±) crystals mp 151-152º
Ranieri & Mclaughlin 1977
mp 151-152º Sato *et al***.** 1973
mp. 150-153º (experimental). Racemic reference mp 154-156º. mmp 153-155º. Dingerdissen & McLaughlin 1973b
mp & mmp 153-155º. Dingerdissen & McLaughlin 1973a
(–)-form mp 164-165.5º (colorless crystals from Ethanol-Ether)
Ranieri & Mclaughlin 1977
mp 166-167º Sato *et al*. 1973
Freely soluble in water. Merck Ninth

$[\alpha]^{25}_{D}$ –61.0º Ranieri & Mclaughlin 1977

Tartrate:
Crystals mp 188-190º (some decomposition.).
Freely soluble in water.
Soluble in alcohol. Merck Ninth

NMR & MS
Dingerdissen & McLaughlin 1973a

Assay:
Clarke 1969 also 1986 Second Edition.

Chromophores with tlc spray reagents:
Fluorescamine (under UV) - Dark purple
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975

Rf 0.30 in tlc on Merck Kieselgel 60 F 254. Developed in: Ether-Methanol-25% Ammonium hydroxide (17:2:1)
Dark-violet with 0.002% solution of Fluorescamine in water-free acetone as tlc spray.
Viewed under 360 nm UV
Wagner & Grevel 1982a

Preparative tlc in Ether-Methanol-58% NH_4OH (17:2:1) on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.18 Ranieri & McLaughlin 1976
Rf 0.22 Ranieri & McLaughlin 1977

Sympathomimetic agent Usdin & Efron 1979
Adrenergic and Vasopressor. Merck Ninth

Human dosage: 100-300 mg./i.m. or 100 mg/s.c. Merck 9th
In their toxicological studies Dessi & Labó 1950 reported no incidence of death from the intraperitoneal administration of 500 mg/ kg (as tartrate) to rats and mice.

Synthesis:
Ger. pat. 566,578 1931 to Boehringer, Ing.), Frdl. 18, 3025. (Merck Ninth)

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

(Widely distributed in a number of families of plants. Present in pharmacologically active amounts in some, such as tangerines and mandarins (in fruit). *See* Boit 1961, Raffauf 1970, Smith 1977a, Wheaton & Stewart 1970 and Willaman & Schubert 1961

Wheaton & Stewart reported Synephrine only from the Amaryllidaceae, the Moraceae and the Rutaceae. They found it to occur at 0.2% in fresh tangerine and mandarin leaves.

Also has been isolated from the fruit of the Rutaceous ***Evodia rutaecarpa*** Hook. fil. et Thompson. It was determined to be much higher in the unripe fruit (used medicinally) than in the ripe fruit. Takagi *et al*. 1979
[While the correct name for *Evodia* is actually ***Euodia***, a typo published in an authoritative source many years ago, coupled with its easier pronounceability, has lead to the improper *Evodia* becoming the name most commonly applied to this genus by most people.]

Reports of Synephrine from the Cactaceae:
Coryphantha cornifera (DeCandolle) Lemaire
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha cornifera var. ***echinus*** (Engelmann) L.Benson
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha durangensis (Rünge) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha elephantidens Lemaire
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha greenwoodii
Ranieri *et al.* 1976 (trace) tlc.
Coryphantha macromeris var. ***runyonii*** L.Benson
Keller *et al.* 1973 (0.0001% in fresh) tlc, mp, ir
Also by Keller *et al.* 1978 (co-tlc)
Coryphantha ottonis (Pfeiffer) Lemaire
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha pectinata (Engelmann) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha poselgeriana (Dietrich) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc
Coryphantha ramillosa Cutak
Sato *et al.* 1973 (0.0057% dry weight. 0.7% of total alkaloid) tlc, mp, ir
Dolichothele longimamma (DC) Br. & R.
Ranieri & McLaughlin 1976 (0.43% by dry weight) tlc, mp, mmp, IR. Determined to be present as (±) form
[Reported also in Ranieri & McLaughlin 1975b]
Dolichothele sphaerica (Dietr.) Br. & R.
Dingerdissen & McLaughlin 1973a (0.0033% by dry weight) tlc, mp, mmp, ms, nmr, ir. (Determined to be (-)-form.]
Dingerdissen & McLaughlin 1973c recovered via preparative tlc
Dolichothele surculosa (Boed.) F.Buxb.
Dingerdissen & McLaughlin 1973b (0.017% by dry weight.) tlc, mp, mmp, ir, nmr, ms [Identified as (–)-synephrine based on ir]
Dolichothele uberiformis (Zucc) Br. & R.
Ranieri & McLaughlin 1977 (0.12%+ by dry weight; reported the recovery of both racemate at 0.0015% and (–)-form at 0.12%) tlc, mp, mmp, ir
Mammillaria elongata De Candolle
West & McLaughlin 1973 (0.0009% by dry weight) tlc, mp, mmp, ir [Suspected to be (–)-form but an inadequate amount was recovered for determination]

For reported occurrences in human body fluids: see Davis 1989

beta-O-Methylsynephrine

4-Hydroxy-N-methyl-β-methoxyphenethylamine
$C_{13}H_{17}NO_7$

HCl
mp 186-189º
NMR & MS
Dingerdissen & McLaughlin 1973a

Tartrate used as adrenergic and vasopressor.
Synephrine tartaric acid monoester;
p-Methylaminoethanolphenol tartrate; Neupentedrin; Pentedrin.
Merck Ninth; included with entry #8803.

Recovered via preparative tlc:
Dingerdissen & McLaughlin 1973c

Rf values reported in tlc of β-O-Methylsynephrine hydrochloride on Silica Gel:
[Rf values as the average of three determinations run on the same plate]

Solvent system	Reference	Isolated	Mixed
A	0.40	0.41	0.40
B	0.72	0.59	0.62
C	0.22	0.16	0.23
D	0.25	0.31	0.28
E	0.75	0.68	0.65

Solvent Systems
A: Ethyl acetate-Methanol-conc. NH_4OH (17:2:1)
B: Chloroform-Ethanol-conc. NH_4OH (15:20:2)
C: Chloroform-Methanol-conc. NH_4OH (18:1:1)
D: Chloroform-Acetone-Diethylamine (5:4:1)
E: Ethyl ether-Acetone-Methanol-conc. NH_4OH (9:8:2:1)
West & McLaughlin 1973

β-O-Methylsynephrine has been reported from:
Coryphantha cornifera (DeCandolle) Lemaire
Horneman *et al.* 1972 (no quantification) tlc, gc.
Coryphantha cornifera var. ***echinus*** (Engelmann) L.Benson
Horneman *et al.* 1972 (no quantification) tlc, gc, uv, nmr, ms
Coryphantha elephantidens Lemaire
Horneman *et al.* 1972 (no quantification) tlc, gc
Coryphantha greenwoodii H.Bravo
Bruhn *et al.* 1975 (trace) tlc, gc, gc-ms.
Ranieri *et al.* 1976 (trace) tlc.
Coryphantha pectinata (Engelmann) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc, gc
Coryphantha ramillosa Cutak
Sato *et al.* 1973 (0.015% dry weight. 1.9% of total alkaloid.) tlc, mp, ir, nmr
Dolichothele sphaerica (Dietr.) Britton & Rose
Dingerdissen & McLaughlin 1973a (0.006% by dry weight) co-tlc, mp, mmp, ir, nmr, ms. [as (-)-form]
Dingerdissen & McLaughlin 1973c recovered via prep. tlc.
Mammillaria elongata DeCandolle
West & McLaughlin 1973 (trace) tlc.

β-O-Ethylsynephrine

Hydrochloride:
mp 167-169º, mmp 170-173º
IR 3240, 2980, 1620, 1610, 1600, 1110 cm^{-1}
MS (m/e) 195 (parent), 151, 150, 123, 77.
UV 225.5, 275 nm
Synthesis from synephrine.
Dingerdissen & McLaughlin 1973a

Reported only from:
Dolichothele sphaerica (Dietr.) Britton & Rose
Dingerdissen & McLaughlin 1973a (0.0038% by dry weight) co-tlc, mp, mmp, IR, UV, MS.
Dingerdissen & McLaughlin 1973a presented a synthetic route.
Dingerdissen & McLaughlin 1973c recovered via preparative tlc.
Dingerdissen & McLaughlin 1973a established it to be an extraction artifact of Synephrine by failing to recover it when using methanol to extract rather than ethanol.

Hordenine

4-[2-(Dimethylamino)ethyl]phenol, 9CI;
1-(Dimethylamino)-2-(4-hydroxyphenyl)ethane; *p*-Hydroxy-N,N-dimethyl-phenethylamine; 4-Hydroxy-N,N-dimethyl-phenethylamine; N,N-Dimethyltyramine; Anhaline; Peyocactin; Peyocactine; Eremursine; Gordenine.

$C_{10}H_{15}NO$ Merck Ninth Entry #4625, page 623.
$4\text{-}HOC_6H_4CH_2CH_2N(CH_3)_2$ #8029 in CRC 1980-1981 [**Beilstein B13³, 1640**]

MW 165.23 Merck Ninth
MW 165.24 CRC 1980-1981.

Free base:
mp 110º Arndt & Kruger 1970
mp 115º Heffter 1894b
Rhombic prisms from alcohol or benzene-petroleum ether; Needles from water.
reference compound mp 116-118º McLaughlin & Paul 1967
mp. 115-117⁰ Herbert & Kattah 1990
mp 116-118º McLaughlin & Paul 1966 Reference standard from Mann Research Labs and/or Penick.
mp 116-117º (after resublimation) Speir *et al.* 1970
mp 177-118ºC Orthorhomhbic prisms from alcohol or from benzene and petroleum ether. Needles from water. Sublimes 140-150º. Merck Index Ninth Edition
mp 117-118ºC (*CRC* and also by Anderson 1980 bp 173-174[11] sublimating (CRC)
Colorless prisms mp 117-118º
Reti 1950 & 1953
mp 117-118º Rao 1970
mp 118º McLaughlin & Paul 1966 Isolated from peyote obtained from Penick.
mp 118º Braga & McLaughlin 1969 Isolated from *Ariocarpus retusus*.
Sublimed at 85º (bath temperature) and 0.01 mm) Herbert & Kattah 1990
Sublimed at 110º and 1.2 mm Hg. Speir *et al.* 1970
bp 173-174º (11 mm) / sublimes at 140-150º
Reti 1950 & 1953

Free base:
Very soluble in alcohol, chloroform and ether.
Moderately water soluble- 7 gm. dissolves in one liter.
Sparingly soluble in benzene, toluene and xylene.
Almost insoluble in petroleum ether.
Merck Ninth
Soluble in alcohol, ether, benzene, ligroine and chloroform
CRC 1980-1981.
Readily soluble in water, alcohol, ether and chloroform
Strongly alkaline (will liberate ammonia from its salts)
Reti 1950 & 1953

Hydrochloride ($C_{10}H_{15}NO{\cdot}HCl$)
mp 175-177º from Ethanol-Ether (reference material [Isolated from ***Coryphantha ramillosa***] mp 177º) Mata *et al.* 1976a
mp 177º (Needles from alcohol) Merck Ninth
mp 177-179º (reference material mp 181-182.5º) Howe *et al.* 1977
mp 179-180º McLaughlin & Paul 1967 & West & McLaughlin 1973
mp 179-181º (Experimental). Reference mp 179-180º. mmp 179-182º. Dingerdissen & McLaughlin 1973b
mp 178-180º (sublimated at 140º using a microsublimator attached to a water pump) McLaughlin & Paul 1966
mp 180-181⁰ Speir *et al.* 1970
mp. 181-182º Neal *et al.* 1972
mp 181-183º Neal *et al.* 1971
mp 182-183º Braga & McLaughlin 1969
mp 176.5-177.5º Reti 1950 & 1953

Very soluble in water.
LD_{50} 113.5 mg / kg in mice.
Merck Ninth.

Hordenine sulfate
CA Reg. No.: (for anhydrous) [622-67-2]
$2(C_{10}H_{15}NO).H_2SO_4$
MW 464.58
Flakes
mp 210-211ºC
Soluble in water
#8028 in CRC 1980-1981
[Beilstein B13³, 1641]
Sulfate 209-211º
Reti 1950 & 1953

Hordenine sulfate
mp 197º Heffter 1894b

Hordenine sulfate dihydrate
CA Reg. No.: [6202-17-1]
$(C_{10}H_{15}NO)_2 . H_2SO_4 . 2H_2O$
MW 464.58 #8029 in CRC 1980-1981.
[**Beilstein B13[3], 1641**]
MW 464.6 Clarke 1986
Prisms or plates.
mp 197ºC CRC 1980-1981.
mp 197º. [If first dried at 100º it has mp 210º.] Merck 9th ed. & Clarke 1986
Soluble in water
CRC 1980-1981.
Soluble in water.
Slightly soluble in alcohol.
Almost insoluble in Ether.
Merck Ninth & Clarke 1986
Lethal dose orally in dogs is 2 grams per kilogram. Merck Ninth.

Picrate 139-140º
Rao 1970
Picrate 139-140º
Picrolonate 219-220º
Reti 1950 & 1953

Methiodide:
mp 230º. Stout crystals from water. Merck Ninth.
mp 232-234º (reference compound) McLaughlin & Paul 1967
mp 233-234º Reti 1953 (Reti 1950 lists 230-231º)
[Acetylhordenine hydriodide 176-177º] Reti 1950 & 1953

Reineckate mp 176-178º
Reti 1950 & 1953

Pharmacological action was first described by Arthur Heffter [Heffter 1894b].
Causes paralysis of CNS in frogs without previous excitation.
Camus reported it to be slightly antiseptic. For his physiological, pharmacological and toxicological assessments, see Camus 1906a, 1906b, 1906c and 1906d.

Relatively low toxicity in mammals.
Small doses have no effect on blood circulation, large doses raise blood pressure and accelerate pulse. Very large doses cause death by respiratory arrest.
Pressure effect determined not to be of central origin and hordenine stimulates the heart muscle. It is much less active than adrenaline but analogous in action resembling ephedrine rather than adrenaline. Rietschel 1937a and 1937b
Found to display a nicotine-like action by: Raymond-Hamet 1933b, 1933c, 1939 and Ludueña 1934.

Large doses decrease or reverse the hypertensive effect of adrenaline. Reti 1950 cited Raymond-Hamet 1936c
Hordenine is active as a stimulant (Bruhn & Bruhn 1973 [but Heffter found 100 mg. to be inactive.] Ott 1993
Adrenergic. Merck Ninth.
Stimulant. Shulgin 1976 p. 91

Southon & Buckingham 1989 note that it is hypertensive in large doses with an ephedrine-like action but also refer to it as "*Of rel. low toxicity*" This stands in curious contrast to their claim that the demonstrably less toxic mescaline is "*Highly toxic orally*". (Our assessment of toxicity is based on a relative comparison of the lethal doses reported in Southon & Buckingham 1989 & in Sax)
Hordenine is a diuretic and has been used as a remedy for diarrhea and dysentery. Smith 1977 cited Ghosal *et al.* 1972.
Antiseptic properties. Smith 1977 cited McCleary *et al.* 1960 and Rao 1970. [Ed. *Antibiotic* is a better term. Hordenine is **not** a true antiseptic agent. *See* also McCleary & Walkington 1964.]
[Ed.: Rao attempted to demonstrate that 'peyocactin' was actually the known alkaloid hordenine.
It should also be mentioned that peyote had a more pronounced antibiotic effect in McCleary's studies than any of the other cacti which were evaluated and some of the others had a higher hordenine content.
Apparently most researchers assumed the issue to be cut and dried so further investigations never followed.]

Acts as feeding repellent for grasshoppers. Smith 1977 cited Harley & Thorsteinson 1967.

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

MS and MIKES: Kruger *et al.* 1977
(M^+ 165 Arndt & Kruger 1970)

HPLC, UV, IR, MS: Clarke's 1986

Color reactions, Chromatography and Ionophoresis: Rabitzsch 1959.
Positive reaction with Millon's reagent
Reti 1950 & 1953
Mandelin's test: Grey-green
Marquis test: Brown→Green
Clarke's 1986

Chromophores with tlc visualization reagents:
Fluorescamine (under UV) - No reaction
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Purple
Ranieri & McLaughlin 1975
Yellow with 0.1% aqueous tetrazotized dl-O-anisidine (TDA) Kapadia *et al.* 1968
Yellow with O-Dianisidine reagent (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water) Lundström & Agurell 1967
Yellow with tetrazotized benzidine. Neal *et al.* 1972
Visualized in tlc with acidified Potassium permanganate solution. Clarke's 1986

tlc of pure Hordenine base on Silica Gel G:

Rf	Solvent system
0.05	n-Butanol-glacial Acetic acid-Water (4:1:1)
0.57	Chloroform-Acetone-Diethylamine (5:4:1)
0.26	Chloroform-Diethylamine (9:1)
0.65	Chloroform-Ethanol-conc. NH_4OH (24:6:0.23)
0.70	Chloroform-Ethanol-Diethylamine (17:1:2)
0.57	Chloroform-Methanol-conc. NH_4OH (80:20:1)
0.29	Cyclohexane-Chloroform-Diethylamine (5:4:1)
0.61	Pyridine-conc. NH_4OH (9:1)

Speir *et al.* 1970

tlc (using plates of Silica gel G (250 μm thick) dipped or sprayed using 0.1M KOH & Methanol and dried).

Rf	Solvent system
0.06	Chloroform-Methanol (90:10)
0.05	Cyclohexane-Toluene-Diethylamine (75:15:10)
0.40	Methanol-conc. NH_4OH (100:1.5)

Clarke's 1986

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.70 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1977

Isolations:
Heffter 1894b
Legler 1906a (from germinating barley), 1906b & 1907
Erspamer & Falconieri 1952
Arndt & Kruger 1970 used a 2% tartaric acid solution to extract.

Bruhn *et al*. 1975 used preparative tlc in Chloroform-n-Butanol-conc. Ammonia (50:50:2.5) to separate from the rest of a phenolic fraction obtained from *Ariocarpus scapharostrus* (via a column of Amberlite IRA 400 (-OH) resin).

Structure:
Legler 1906 & 1907
Gaebel 1906

Synthesis
Barger 1909a & 1909b
Buck et al. 1938
Cheng *et al.* 1951
Digenis et al 1971
Ehrlich & Pistschimuka 1912
Kanao & Suyuma 1967
Kindler & Peschke 1932
Koessler & Hanke 1919
Leete et al 1952
Raoul 1937a & 1937b
Rosenmund 1910
Späth & Sobel 1920
Voswinckel 1912

First isolated from *Ariocarpus fissuratus* by Arthur Heffter and published in 1894. He named it Anhaline. (Anhalin)

Hordenine has been found in:

Many plants. From ***Cannabis sativa*** and ***Desmodium gangeticum*** to ***Phalaris arundinacea***

See Batiste *et al*. 1999; Boit 1961; Clement *et al.* 1997 & 1998 (Accounts questionable); Raffauf 1970; Smith 1977a; Wheaton & Stewart 1970 [Wheaton & Stewart reported hordenine only from the leaves of *Nandina domestica* (225 mg/kg) and at trace levels in the Rutaeae from the Cleopatra Mandarin orange variety of *Citrus reticulata*.] and Willaman & Schubert 1961 for more detail. Also reported from *Anabasis jaxatica* Bge. Platonova *et al.* 1958.

Reported from the following succulents:

Aizoaceae

Sceletium joubertii L.Bolus
Arndt & Kruger 1970 (reported) ms, mp, mmp, tlc

Sceletium subvelutinum L.Bolus
Herbert & Kattah 1990 ms, nmr, mp

Apocynaceae

Stapelia gigantea N.E.Brown.
Meyer *et al*. 1981

Stapelia hirsuta L. (along with the first report of N-acetyl hordenine)
Shabana *et al*. 1990

Cactaceae

Ariocarpus agavioides (Castañeda) E.F.Anderson
Bruhn & Bruhn 1973. (Main alkaloid. Over 50% of 1-10 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms [They also refer to it having been observed earlier via paper chromatography by Anderson 1962.]

Ariocarpus fissuratus (Engelmann) Schumann
Heffter 1894b (First isolation. 0.2 gm as sulfate from 1 kg.)

Ariocarpus fissuratus var. ***fissuratus*** (Engelm.) Schum.
McLaughlin 1969 (0.006% by dry weight) mp, tlc, ir.

Ariocarpus fissuratus var. ***lloydii*** (Rose) Marshall
McLaughlin 1969 (no quantification) mp, mmp, tlc, ir

Ariocarpus kotschoubeyanus (Lem.) Schum
Neal *et al.* 1971b (By dry weight: 0.059% by percolation *vs*. 0.030% by continuous extraction) mp, mmp, tlc, ir.

Ariocarpus retusus Scheidweiller (= *Anhalonium prismaticum* Lemaire)
Braga & McLaughlin 1969 (0.02% by dry weight. 214 mg from 1.19 kg) mp, mmp, tlc, ir.
[Heffter 1894b found alkaloids to be present but was unable to crystallize or identify.]

Ariocarpus scapharostrus Bödeker
Bruhn 1975b (Major alkaloid. 0.012% total alkaloid content - 4 alkaloids) gc, gc-ms

Ariocarpus trigonus (Web.) K.Schumann
Speir *et al.* 1970 (Major alkaloid. 0.013% by dry weight.) mp, mmp, ir, tlc.

Aztekium ritteri (Boedecker) Boedecker
Starha 1994 (Less than 0.0001% by fresh wt.) gc-ms

Cactus grandiflorus See as ***Selenicereus grandiflorus***

Cereus aethiops Haw.
Ruiz *et al.* 1973 (%?)

Cereus alacriportanus Pfeiff.
Agurell 1969c (Only alkaloid present at 1-10 mg/ 100 gm of fresh plant) ir

Cereus glaucus SD.
AGURELL 1969c (1-10% of 1-10 mg total alkaloids/ 100 gm of fresh plant) glc
Cereus jamacaru DECANDOLLE has been listed **in error**. The reference cited, AGURELL 1969c, did not investigate this species.
Cereus peruvianus (L.) MILL.
DEVRIES *et al.* 1971 (%?)
Coryphantha bumamma (EHRENBERG) BRITTON & ROSE
BRUHN *et al.* 1975 (Over 50% of 10- 50 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms, ir.
Coryphantha calipensis H.BRAVO
BRUHN *et al.* 1975 (trace) tlc, gc, gc-ms.
Coryphantha cornifera (DC.) LEM.
HORNEMAN *et al.* 1972 (no quantification) tlc
Coryphantha cornifera (DC.) BR. & R. var. ***echinus*** (ENGELM.) L.BENSON
HORNEMAN *et al.* 1972 (tlc).(0.0006% by dry weight)
Coryphantha durangensis (RÜNGE) BR. & R.
HORNEMAN *et al.* 1972 (no quantification) tlc
Coryphantha elephantidens LEMAIRE
HORNEMAN *et al.* 1972 (no quantification) tlc
Coryphantha greenwoodii H.BRAVO
BRUHN *et al.* 1975 (trace) tlc, gc, gc-ms.
Coryphantha macromeris var. ***runyonii*** (BR. & R.) L.BENSON
AGURELL 1969c (trace) ms
KELLER *et al.* 1973 (0.0004% in fresh) tlc, mp, ir
Coryphantha missouriensis (SWEET) BR. & R.
PUMMANGURA *et al.* 1981 (0.39% by dry weight) tlc, mp, mmp, ir, ei-ms, ci-ms
Coryphantha ottonis (PFEIFFER) LEMAIRE
HORNEMAN *et al.* 1972 (no quantification) tlc
Coryphantha pectinata (ENGELM.) BRITTON & ROSE
HORNEMAN *et al.* 1972 (no quantification) tlc
Coryphantha poselgeriana (DIETR.) BR. & R.
HORNEMAN *et al.* 1972 (no quantification) tlc
Coryphantha radians (DECANDOLLE) BRITTON & ROSE
BRUHN *et al.* 1975 (1-10% of over 1-10 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms. [Wild collected: Querétaro, Mexico]
Coryphantha ramillosa CUTAK
SATO *et al.* 1973 (0.73% in dry. 91.8% of total alkaloid) tlc, mp, ir
[Also isolated and used as reference material for MATA *et al.* 1976a]
Coryphantha vivipara (NUTTALL) ENGELMANN
BRUHN *et al.* 1975 (Sole alkaloid present. 10-50 mg/ 100 grams of fresh plant.) tlc, gc, gc-ms. [Cultivated: Switzerland]
Coryphantha vivipara (NUTT.) BR. & R. var. ***arizonica*** (ENGELM.) W.T.MARSHALL
HOWE *et al.* 1977b (0.017% by dry weight) tlc, ir, mp.
Dolichothele surculosa (BOED.) F.BUXB.
DINGERDISSEN & MCLAUGHLIN 1973b (0.178% by dry weight) tlc, mp, mmp, ir, nmr, ms
Dolichothele uberiformis (ZUCC.) BR. & R.
KRUGER *et al.* 1977 (Identified) MIKES
RANIERI & MCLAUGHLIN 1977 (Identified) tlc.
Echinocereus merkerii HILDM.
AGURELL *et al.* 1969 (observed**)** tlc, glc
MCFARLANE & SLAYTOR 1972 (no quantification) tlc, ir nmr
Echinocereus pectinatus (SCHEIDWEILER) ENGELMANN has been listed as containing hordenine but the reference that was cited, AGURELL 1969c, did not examine this species.
Echinopsis eyriesii (TURPIN) ZUCC.
AGURELL 1969c (10-50% of 1-10 mg total alkaloids/ 100 gm of fresh plant) ir
HERRERO-DUCLOUX 1930a (Cited for this species. He found alkaloids present but did not identify?)
Echinopsis rhodotricha K.SCHUMANN
AGURELL *et al.* 1971b (major alkaloid in the traces present.) tlc, gc, glc-ms.
[Not observed by AGURELL 1969b: no alkaloids detected]
Epithelantha micromeris (ENGELMANN) WEBER
ŠTARHA 1994 (0.0026% by fresh wt.) gc-ms
ŠTARHA 1995b (0.003% by fresh wt. was isolated)
Espostoa huanucensis RITTER
MATA *et al.* 1976a (0.002% by dry weight) tlc, mp, ir. mmp, ir
[Also in MATA *et al.* 1976b]
Gymnocactus aguirreanus GLASS & FOSTER
WEST *et al.* 1974 (2.26% by dry weight.) mp, mmp, ir, tlc.
Gymnocactus beguinii (WEB.) BACKBG.
WEST *et al.* 1974 (trace) tlc.
Gymnocactus horripilus (LEM.) BACKBG.
WEST *et al.* 1974 (trace) tlc.
Gymnocactus roseanus (BOED.) GLASS & FOSTER
WEST *et al.* 1974 (2.39% by dry weight) mp, mmp, ir, tlc.
An additional specimen was collected from El Chiflon, Mexico and thought to be a variety of ***G. roseanus*** was found by WEST *et al.* 1974 to contain 1.89% by dry weight. mp, mmp, ir, tlc.
Gymnocalycium achirasense TILL & SCHATZL
ŠTARHA *et al.* 1998 (0.00129% [± 0.00006] by fresh wt.) gc, gc-ms
Gymnocalycium albispinum BACKEBERG
ŠTARHA *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium anisitsii BR. & R.
ŠTARHA 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium asterium ITO
ŠTARHA *et al.* 1998 (0.00105% [± 0.0001] by fresh wt.) gc, gc-ms
Gymnocalycium baldianum SPEG.
ŠTARHA 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium bayrianum TILL.
ŠTARHA 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium boszingianum SCHÜTZ
ŠTARHA 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum ITO
ŠTARHA 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium cardenansianum R.
ŠTARHA 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium carminanthum BORTH & KOOP
ŠTARHA *et al.* 1998 (0.00016% [± 0.00005] by fresh wt.) gc, gc-ms
Gymnocalycium chubutense SPEG.
ŠTARHA *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium comarapense BACKEBERG
ŠTARHA 1995a (Less than 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium curvispinum Frič
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium delaetii Backbg.
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00052% [± 0.00005] by fresh wt.) gc, gc-ms
Gymnocalycium friedrichii Paz.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium horridispinum Frank
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium leeanum (Hook.) Br. & R.
DeVries *et al.* 1971 (%?)
Gymnocalycium marsoneri (Frič) Ito
Štarha *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium mazanense Backbg.
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium megalotheles Br. & R.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium mihanovichii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Approx. 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00011% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium netrelianum Britton & Rose
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.0014% [± 0.00006] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Approx. 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00043% [± 0.00008] by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii Werd.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium pungens Fleischer
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Approx. 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (0.0035% [± 0.00014] by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Card.
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione
Nieto *et al.* 1982 (0.008% dry wt) [Wild collected: Argentina]
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms [Cultivated: Czechoslovakia]
Gymnocalycium schickendantzii (Weber) Br. & R.
Ruiz *et al.* 1973 (%?)
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Approx. 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (0.00054% [± 0.00004] by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a ("*readily apparent*" at around 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buining
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Helianthocereus huascha (Weber) Backeberg *See* as ***Lobivia huashua***
Helianthocereus huascha (Weber) Backeberg.
Agurell 1969c (Sole alkaloid. 10-50 mg/ 100 gm of fresh plant) ir, mp
Follas *et al.* 1977 (trace) [Follas analyzed as *Lobivia huashua* (Weber) W.T.Marshall]
Helianthocereus pasacana See as ***Trichocereus pasacana***
Helianthocereus poco (Backeberg) Backeberg
Agurell 1969c (over 50% of 1-10 mg total alkaloids/ 100 gm of fresh plant) ir
Islaya minor Backbg.
Doetsch *et al.* 1980 (no quantification) tlc
Lobivia allegriana Backbg.
Follas *et al.* 1977 (trace) tlc
Lobivia aurea (Br. & R.) Backbg.
Follas *et al.* 1977 (trace) tlc.
Lobivia backebergii (Werd.) Backbg.
Follas *et al.* 1977 (0.011% by dry weight). mp, mmp, ir, tlc.
Lobivia binghamiana Backeberg.
Follas *et al.* 1977 (0.004% by dry weight). mp, mmp, ir, tlc.
Lobivia huashua (Weber) W.T.Marshall
Follas *et al.* 1977 (trace) tlc.
Lobivia pentlandii (Hook.) Br. & R.
Follas *et al.* 1977 (0.012% by dry weight) mp, mmp, ir, tlc.
Lophophora diffusa (Croizat) H.Bravo
Bruhn & Holmstedt 1974 (trace) tlc, gc.
Štarha 1997 (0.5% of total alkaloid fraction) gc-gc-ms.
[Todd 1969 **did not observe** in material examined using tlc.]
Lophophora diffusa var. ***koehresii*** Říha
Štarha & Kuchyna 1996 (0.37% [± 0.05] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.4% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (0.3% & 0.4% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (2.9% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (6.47% [± 0.29] of the total alkaloid content) gc, gc-ms
Štarha 1997 (6.5% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)

Lophophora williamsii (Lemaire) Coulter
McLaughlin & Paul 1965 mp, mmp, ir, tlc.
McLaughlin & Paul 1966 (0.008% dried material from Penick)
Lundström 1971b (0.6-0.7% dry wt. i.e. 8% of 8% total alkaloids) glc-ms
Lundström 1972 observed in glc
Rao 1970 mp, ir, pmr, ms.
Todd 1969 (Found to be present only in the **roots** of both populations of *L. williamsii* that he examined) tlc.
[Also in Habermann 1978b (from Štarha *nd*)]

Mammillaria elongata De Candolle
West & McLaughlin 1973 (0.0005% by dry wt.) tlc, mp, mmp, ir

Mammillaria microcarpa Engelm.
Howe *et al.* 1977a (0.0017% by dry weight) mp, mmp, ir [March Harvest; Santa Cruz Co., Arizona]
Contrast in analysis
Knox *et al.* 1983 (0.0035% (± 0.0017) in chlorophyllous tubercles, 0.017% (± 0.0053) in cortex tissue, 0.019% (± 0.012) in vascular tissue and 0.036% (± 0.023) in the root. Using HPLC.) [April harvest; Tempe, Arizona]
[Knox & Clark 1986 found it to be present in 95% of their samples]

Mammillaria tetrancistra Engelm.
Knox *et al.* 1983 (April harvest; Arizona: 0.0038% (± 0.0023) in chlorophyllous tubercles, 0.013% (± 0.0027) in cortex tissue, 0.026% (± 0.017) in vascular tissue and 0.047% (± 0.03) in the root. Using HPLC.)

Notocactus ottonis (Lem.) Berg. ex Backbg. & Knuth
DeVries *et al.* 1971 (%?)

Obregonia denegrii Frič
Neal *et al.* 1971a (0.002% by dry weight) tlc, ir, mp, mmp.
Bruhn & Bruhn 1973. (1-10% of 1-10 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms
[Also reported in Habermann 1974a (from Štarha *nd*)]

Opuntia acanthocarpa Engelmann & Bigelow has apparently been listed **in error**, the reference cited, Smith 1977, does not include this species

Opuntia aurantiaca Lindley
DeVries *et al.* 1971 (%?)

Opuntia clavata Engelm.
Vanderveen *et al.* 1974 (trace)

Opuntia invicta Brandegee
Meyer *et al.* 1980 (no quantification) tlc

Opuntia maldonadensis Arechavaleta
DeVries *et al.* 1971 (%?)

Opuntia schottii Engelm.
Meyer *et al.* 1980 (0.049% dry wt) tlc, ms, ir, mp)

Opuntia versicolor Engelm.
Meyer *et al.* 1980 (no quantification) tlc

Opuntia vulgaris Miller
DeVries *et al.* 1971 (%?)

Pelecyphora aselliformis Ehrenberg. (T.)
Agurell *et al.* 1971b (10-50% of the 1-10 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Bruhn & Bruhn 1973. (10-50% of 10-50 mg of total alkaloids/ 100 gm. of fresh plants) tlc, gc, glc-ms. [Was not the major alkaloid, in contrast to Neal *et al.* 1972]
Neal *et al.* 1972 (Major alkaloid. 0.00063% by dry weight) mp, mmp, tlc, ir
Štarha 1994 (0.0007% by fresh wt.) gc-ms

Pelecyphora pseudopectinata Backbg.
Bruhn & Bruhn 1973. (Over 50% of over 50 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms
Štarha *et al.* 1999a (62.11% [± 2.42] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Selenicereus grandiflorus (Linnaeus) Britton & Rose **AKA** *Cactus grandiflorus*
Petershofer-Halbmeyer *et al.* 1982 (%?) reported only hordenine.
[Wagner & Grevel 1982a did not observe & reported Tyramine instead.]

Selenicereus pteranthus (Lk. & O.) Br. & R.
Petershofer-Halbmeyer *et al.* 1982 (%?)

Solisia pectinata (B.Stein) Br. & R.
Bruhn & Bruhn 1973. (Over 50% of 10-50 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms

Trichocereus andalgalensis (Weber) Kreuzinger
Nieto 1987 (%?) Argentina

Trichocereus candicans (Gillies) Britton & Rose
Agurell 1969c (over 50% of over 50 mg total alkaloids/ 100 gm of fresh plant) ir, mp
Reti 1933 mp, chemical tests. (Reti 1950 says Reti 1933 isolated variable amounts from 0.5 to 5%.)
Reti 1954b citing Reti 1933 and Niedfeld 1931 and Lewis & Ludueña 1933a & 1933b. Also mentions that Castrillón 1950 reported finding 0.5% in dry plant material.

Trichocereus lamprochlorus (Lemaire) Backbg. [(Lemaire) Britton & Rose according to Reti 1954b]
Agurell 1969c (over 50% of 10-50 mg total alkaloids/ 100 gm fresh) ir
Reti & Arnolt 1935
Reti 1950 said that Reti & Arnolt found it to be present but in smaller amounts than in *T. candicans*.
Reti 1954b also cited Reti & Arnolt 1935.

Trichocereus manguinii Backbg.
Agurell *et al.* 1971b (10-50% of the 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus pachanoi Br. & R.
Agurell 1969c (trace) ms

Trichocereus pasacana (Web.) Br. & R.
Meyer & McLaughlin 1980 (no quantification) tlc
Agurell 1969c (over 50% of 1-10 mg total alkaloids/ 100 gm of fresh plant) ms [as ***Helianthocereus pasacana*** (Weber) Backbg.]

Trichocereus poco see as ***Helianthocereus poco***

Trichocereus santiaguensis (Speg.) Backbg.
Agurell *et al.* 197b1 (10-50% of the 1-10 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus schickendantzii (Web.) Br. & R.
Agurell 1969c (over 50% of 1-10 mg total alkaloids/ 100 gm of fresh plant) ms

Trichocereus skottsbergii Backbg.
Agurell *et al.* 1971b (Over 50% of the 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus spachianus (Lem.) Ricc.
Agurell 1969c (over 50% of 1-10 mg total alkaloids/ 100 gm of fresh plant) ir
[Mata *et al.* 1980 (which has been cited for this compound) actually intended to indicate Mata & McLaughlin 1980 but that paper does not include this species]

Trichocereus strigosus (SD.) Br. & R.
Agurell *et al.* 1971b (Sole alkaloid present. 10-50 mg/ 100 grams of fresh plant) tlc, gc, glc-ms
Nieto *et al*. 1982 [0.139% dry wt.]

Trichocereus taquimbalensis Card.
Agurell *et al.* 1971b (1-10% of 10-20 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus thelegonoides (Speg.) Br. & R.
Agurell *et al.* 1971b (Sole alkaloid. 10-50 mg/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus thelegonus (Web.) Br. & R.
Agurell *et al.* 1971b (Over 50% of the 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Trichocereus tunariensis Card.
Agurell *et al.* 1971b (10-50% of the 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Turbinicarpus alonsoi Glass & Arias
Štarha *et al.* 1999b (0.0048 ± 0.0008% dry wt.) gc, gc-ms

Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (91.69% [± 0.54] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus pseudomacrochele (Backbg.) F.Buxb. & Backbg.
Bruhn & Bruhn 1973. (Sole alkaloid present. 1-10 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms

Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (49.60% [± 0.55] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (43.02% [± 1.86] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Štarha *et al.* 1999a (42.45% [± 0.45] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus schmiedickeanus *var.* ***flaviflorus*** (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (92.05% [± 0.71] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (48.81% [± 2.72] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Wiggensia erinacea (Haw.) D.M.Porter
DeVries *et al.* 1971 (%?)

Wiggensia macrocantha (Arech.) D.M.Porter
DeVries *et al.* 1971 (%?)

Wiggensia tephracantha (L. & O.) D.M.Porter
DeVries *et al.* 1971 (%?)

Candicine

N,N,N-Trimethyltyramine;
N,N,N-Trimethyl-4-hydroxyphenethylamine;
4-Hydroxy-N,N,N-trimethylphenethylamine;
p-Hydroxy-N,N,N-trimethylphenethylamine.

$C_{11}H_{19}NO_2$

Hydrochloride mp 232-233º

Chloride
mp 279-280º (dec.) Meyer & McLaughlin 1980
mp 285º (dec.) Very hygroscopic. Reti 1953

Picrate
mp 156-157º Yang & Fan 1966 [1970 *CA*]
mp 162-163º Reti 1953
mp 167-168º Erspamer 1959

Picrolonate 218-219º Reti 1953

Styphnate 178-178.5º 1961 Chemical Abstracts, abstracting Tomita & Kunitomo 1960

Colorless crystals as iodide mp 232-233 after recrystallizing from hot water and decolorizing with charcoal. Initial separation gave pale yellow precipitate mp 227-231º.
Cortes *et al.* 1972

Iodide: Straw colored needles (from water) mp 234º Reti 1954b cited Castrillón 1950
[i.e. Hordenine methiodide]
mp (iodide)= 230-231º
Anderson 1980

Iodide (pale yellow precipitate) mp 227-231º
(Colorless crystals recrystallized from water mp 232-233º)
Cortes *et al.* 1972

Iodide 230-231º 1961 Chemical Abstracts, abstracting Tomita & Kunitomo 1960

Iodide (hordenine methiodide) 234º Reti 1953 (Reti 1950 230-231º)

Methyl ether iodide 211.5-212.5º 1970 Chemical Abstracts, abstracting Yang & Fan 1966

Platinichloride (Chloroplatinate) 208-209º
Aurichloride (Chloroaurate) 127-128º Reti 1950 & 1953
Meruriiodide (iodomercurate) 190-191º Reti 1953 mp187º Reti 1950 (Precipitated with Mayer's reagent)

Color reactions, Chromatography and Electrophoresis: *See* Rabitzsch 1959.

Red color with Millon's reagent
Reti 1950 & 1953

Chromophores with tlc visualization reagents:
Fluorescamine (under UV) - No reaction
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Purple
Ranieri & McLaughlin 1975

Yellow with 0.1% aqueous tetrazotized dl-O-anisidine (TDA)
Kapadia *et al.* 1968

Diazotized p-nitroaniline + sodium carbonate	Red
Diazotized sulfanilic acid + sodium carbonate	Violet (light)
Dichloroquinone-chlorimide+ sodium carbonate	No reaction

Erspamer 1959

Paper chromatography:

Solvent system	RF
A	0.56
B	0.08
C	0.45

A: n-Butanol - Acetic acid - H2O (4:1:5)
B: n-Butanol - 25% Methylamine (8:3)
C: Distilled H2O
On Whatman No. 1 paper.
Erspamer 1959

First examined by Barger & Dale 1910
Pharmacological properties thoroughly studied:
Lewis & Ludueña 1933 & 1934
and Ludueña 1933a, 1933b, 1933c, 1933d, 1934 & 1935,

Displays a nicotine-like action on the visceral nervous system, first stimulating then blocking ganglionic synapse.
It has no muscarinic effect.
Given intravenously to dogs, it produces hypertension due to vasoconstriction produced by stimulation of vasoconstrictor nerves and secretion of adrenaline from adrenals.
Its effect of stimulating adrenaline secretion is not significantly changed by yohimbine, cocaine or atropine. This effect is blocked/stopped completely by sparteine or tetrapropylammonium iodide.
Large doses (6 mg/kg) have curare like effects in the dog. This effect has also been observed in the toad, ***Bufo arenarium***.
LD_{50} in rats is 50 mg/kg. Death is by respiratory paralysis.
Reti 1950
LD_{100} is 60 mg/kg in rats (given ip as iodide) Luduena notes that the animals which survived his lethality tests recovered rapidly. 50 mg/kg killed 3 out of 5 and 40 mg/kg killed 1 out of 5.
Ludueña 1935
Mandava *et al.* 1981 reported substantial plant growth inhibition using the hydrochloride, as well as outright phytotoxicity (based on visible necrosis).

Synthesis: Meyer & McLaughlin 1980

MS: Davis *et al*. 1983

Cannot be extracted from alkaloid solutions using immiscible solvents. Isolated by precipitating purified plant extract with Mayer's reagent, then decomposing precipitated the meruriiodide with hydrogen sulfide and recovering as its iodide.
Reti 1950 & 1953

Candicine has been reported from:
Cereus aethiops Haw.
Ruiz *et al.* 1973 (%?)
Denmoza rhodacantha (Salm-Dyck) Britton & Rose
Nieto 1987 (Argentina)
Echinocereus merkerii Hildm.
Listed in Shulgin & Shulgin 1997 (no details)
Gymnocalycium saglione (Cels) Britton & Rose
Nieto *et al.* 1982 (0.041% dry wt.)
Gymnocalycium schickendantzii (Weber) Br. & R.
Ruiz *et al.* 1973 (%?)
Lobivia formosa (Pfeiffer) Dodds
Nieto *et al.* 1982 (0.268% [column chromatography] & 0.242% [via precipitation of picrate] Both by dry wt.
Lophophora williamsii (Lemaire) Coulter
McLaughlin & Paul 1966 Detection relied only on tlc. Presence is unconfirmed and questionable.. It was not found by ANY subsequent researchers who were looking for it. See Kapadia *et al.* 1968 and Kapadia & Fayez 1970 p. 1701 and Davis *et al*. 1983
Opuntia hickenii Britton & Rose
Nieto 1987 (Argentina) (%?)
Trichocereus andalgalensis **(Weber) Kreuringer**
Nieto 1987 (Argentina) (%?)
Trichocereus candicans (Gill.) Br. & R.
Reti 1933 mp, chemical tests.
Reti 1950 (Variable 0.5 to 5%) [citing Reti 1933]
Reti 1954b pp 23-28 citing Reti 1933 and Niedfeld 1931 and Lewis & Ludueña 1933.
(Reti 1954b says Castrillon 1950 found 2% in dry plant)
Trichocereus chilensis (Colla) Br. & R.
Cortes *et al.* 1972 (isolated in low yield) mp
Cortes noted that Djerassi *et al.* 1956 had detected no alkaloid. (Editor's Note: Djerassi approach could ***only*** detect ether soluble alkaloids)
Trichocereus lamprochlorus (Lem.) Backbg. [(Lemaire) Britton & Rose according to Reti 1954b]
Reti 1933 (trace)
Reti 1950 (smaller amounts than *T. candicans*.)
Reti 1954b pp 23-28 citing Reti & Arnolt 1935
Trichocereus pasacana (Web.) Br. & R.
Davis *et al*. 1983 (0.075% dry wt.) tlc, ms-ms
Meyer & McLaughlin 1980 (0.08% by dry weight) tlc, mp, mmp, ir
Trichocereus spachianus (Lemaire) Riccobono
Davis *et al*. 1983 (0.093% dry wt.) tlc, ms-ms
Reti 1950, Reti 1954b & Reti & Castrillon, all citing Haagen-Smit & Olivier, private communication.
[Agurell 1969c & Mata *et al.* 1976a have both been listed with regards to this compound but neither detected it. Agurell specifically did not look for quaternary compounds; both simply mentioned a prior report]
Trichocereus strigosus (Salm-Dyck) Britton & Rose
Nieto *et al.* 1982 (0.11% dry wt.)

Also Reported from:
Apocynaceae
Stapelia gigantea N.E.Brown.
Meyer *et al*. 1981
Stapelia hirsuta L.
Shabana *et al*. 1990
Graminae
Hordeum vulgare L.
Rabitzsch 1959. (in germinating barley roots)
McFarlane 1966

Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (Not detected in early Spring / 35.1 ppm in late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (identity was inferred by the presence of the corresponding styrene) (This account is questionable.)
Desmodium cephalotes Wall.
Ghosal & Mehta 1974 (46 mg from 3.2 kg of roots)
Desmodium gangeticum DC.
(Traces; present only in roots)
Ghosal & Banerjee 1969
Ghosal & Bhattacharya 1972
Ghosal *et al.* 1970b & 1972e
Magnoliaceae
Magnolia spp.
Matsutani & Shiba 1975
Nakano 1954
Nakano & Uchiyama 1956
Yang *et al.* 1962
Rutaceae
Fagara spp.
Smith 1977 cited Fish & Waterman 1972.
and Kuck *et al.* 1966 & 1967.
Fagara chalybea Engl.
Mester 1973 cited Fish & Waterman 1972
Fagara chilopterone var. ***angustifolia*** (Engl.) Engl.
Fagara coco (Gill.) Engl.
Fagara hyemalis (St. Hill.) Engl.
Fagara nigrescens Fries.
Fagara pterota L.
Fagara rhoifolia (Lam.) Engl.
Fagara rhoifolia (Lam.) var. ***petiolulata*** Engl.
Mester 1973 cited Kuck *et al.* 1966 for the above.
Fagara rubescens (Planch. ex Hook. f.) Engl.
Mester 1973 cited Fish & Waterman 1971
Glycosmis cochinchinensis Pierre ex. Engl.
Mester 1973 cited Yang & Fan 1966
Phellodendron amurense Rupr.
Kunitomo 1962 (0.5%) mp, ir, uv.
Smith cited Kunitomo 1962
Mester cited Tomita & Kunitomo 1960
Zanthoxylum americanum Mill.
Mester 1977 cited Fish *et al.* 1975a
Zanthoxylum avicennae (Lam.) DC.
Mester 1977 cited Fish *et al.* 1975b
Zanthoxylum clava-herculis Lam
Mester 1977 cited Fish *et al.* 1975a
Zanthoxylum martinicense DC.
Mester 1973 cited Tomko *et al.* 1967

Also in the amphibia in the Salamander
Leptodactylus pentadactylus pentadactylus
Erspamer *et al.* 1963b

Oxycandicine

Occasionally listed as an alkaloid found in ***Stetsonia coryne***.
Synonym for **Coryneine**, See as.

Leptodactyline

3-Hydroxy-N,N,N-trimethylbenzeneethanaminium;
(*m*-Hydroxyphenethyl)trimethylammonium 8CI;
(*m*-Hydroxyphenethyl)trimethylammonium;
N,N,N-Trimethyl-3-hydroxyphenethylammonium;
3-Hydroxy-N,N,N-trimethylphenethylammonium;
m-Hydroxy-N,N,N-trimethylphenethylammonium.

InChI=1/C11H17NO/c1-12(2,3)8-7-10-5-4-6-11(13)9-10/h4-6,9H,7-8H2,1-3H3/p+1
Canonical SMILES
C[N+](C)(C)CCC1=CC(=CC=C1)O

CAS Registry Number: 13957-33-0

$C_{11}H_{18}NO$
MW 180.26672 [g/mol]

Picrate:
$C_{11}H_{13}ON \cdot C_6H_2O_7N_3$
Gold-yellow or orange-yellow needles.
Slightly soluble in cold water (>0.1%), much more soluble in boiling water (good recrystallization choice.)
mp 198-200° (isolated);
mp 198-200° (synthetic); mixed mp. 197-199°
Erspamer 1959

Calcd.: C 50.00, H 4.94, 0 31.34, N 13.72.
Experimental:
(Sample 1):
Found: C 49.97, H 5.04, 0 31.01, N 13.72.
(Sample 2):
Found: C 50.09, H 5.02, 0 31.16, N 13.93.
Erspamer 1959

Reported from some amphibia but not from cacti.
Precursor is the unusual amino acid *m*-tyrosine.

First identified: Erspamer & Vialli 1952

Studies of its pharmacology were published in *Erspamer & Glässer* 1960 who surmised leptodactyline should share properties with other N-methylated tyramines.
"[...] leptodactyline causes both a powerful nicotinic stimulation at autonomic ganglia and the neuromuscular junction, and a considerable neuromuscular block. Muscarinic effects seem to be lacking."
"Ganglionic stimulation and neuro-muscular block are ten to twenty times greater for leptodactyline than for (p-hydroxyphenethyl)trimethylammonium (candicine) "
"The basic action of leptodactyline in mammals is to paralyse skeletal muscle and to stimulate ganglia powerfully. Death seems to be caused mainly by anoxia due to paralysis of the respiratory muscles; it cannot, however, be excluded that the consequences of ganglionic stimulation may contribute to death."

Muscular paralysis and respiratory depression were generally preceded or accompanied by short-lived polypnoea and by muscular twitches and fasciculations all over the body, salivary hyper-secretion, lachrymation, mydriasis alternated with myosis, intestinal borborygmi, defaecation and micturition. When death occurred muscular twitchings and fasciculations persisted for several minutes after death."

LD_{50} (of leptodactyline picrate)

3.3 mg/kg/ iv and 325mg./kg/ po in mice.

"[...] the dose causing head drop in rabbits (ED_{50}) was approximately 0.2mg./kg.,intravenously.

"In birds leptodactyline, like all depolarizing muscle relaxants, provoked contracture (extension cramp of the legs and opisthotonos) instead of muscular paralysis. Myosis alternated with mydriasis and evacuation of the bowels was some-times observed at the same time. In pigeons the minimum active intravenous dose was 20 to 25 µg/ kg. and the LD_{50} 120 to 180 µg/kg. Frogs and fishes were paralysed, like mammals. The paralysing dose (ED_{50}) of leptodactyline in frogs, following injection into the dorsal lymphatic fasc, was approximately 0.5 mg /kg. The righting reflex disappeared after 5 to 10 min, and returned to normal after 20 to 35 min." Erspamer & Glässer 1960

Paper chromatography:

Solvent system	**RF**
A	0.60
B	0.14
C	0.48

A: n-Butanol - Acetic acid - H2O (4:1:5)
B: n-Butanol - 25% Methylamine (8:3)
C: Distilled H2O
On Whatman No. 1 paper.
Erspamer 1959

Color reactions:

Diazotized p-nitroaniline + sodium carbonate	Yellow
Diazotized sulfanilic acid + sodium carbonate	Wine-Red
Dichloroquinone-chlorimide+ sodium carbonate	Sky blue
Dianisidinsulfonic acid	Yellow-orange
Naphthionic acid	Plum red
Folin reaction	Positive
Gerngros-Voss-Herfeld reaction	Cherry red

(An alcoholic solution of α-nitroso-β-naphthol followed by nitric acid.)
No color reaction with diazonium salts in acid medium,
No color reaction with Ninhydrin
No color reaction with *p*-Dimethylaminobenzaldehyde
No color reaction with Potassium ferricyanide.
Erspamer 1959

4-Methoxyphenethylamine

2-(4-Methoxyphenyl)ethylamine; O-Methyltyramine;
1-(4-Methoxyphenyl)-2-aminoethane;
4-Methoxybenzeneethanamine, 9CI;
p-Methoxyphenethylamine, 8CI; Homoanisylamine; MPEA; PM.

WLN: Z2R DO1
Hayward: 6R(OM)RRR(CCZ)RR
Usdin & Efron 1979: #1156

Chemical Abstracts Registry Number: [55-81-2]
NIOSH # SH 7875000.
Southon & Buckingham 1989: entry M-00175

$C_9H_{13}NO$
MW 151.208 Southon & Buckingham 1989

Free base:
bp_{20} 138-140º/ bp_{12} 127-130º
Southon & Buckingham 1989

Hydrochloride:
[645-58-9.]
mp 211º Southon & Buckingham 1989
mp 211º (p. 3044) mp 210º (p. 3038) Slotta & Heller 1930

Picrate:
mp 177-178º Southon & Buckingham 1989

Pharmacological action:
Purported as a possible hallucinogen (based on animals.) Usdin & Efron 1979 cited Smythies *et al.* 1969
No activity in humans (evaluated up to 400 mg.) Shulgin & Shulgin 1991

Showed some inhibition of the deamination of Tyramine and Tryptamine by rat brain Monoamine oxidase. Keller & Ferguson 1976

Assay: Usdin & Efron 1979 cites Vogel 1970

Brilliant yellow chromophore under UV with Dansyl-chloride. Neal *et al.* 1972

Rf 0.64 in tlc on Merck Kieselgel 60 F 254. Developed in: Ether-Methanol-25% Ammonium hydroxide (17:2:1)
Aquamarine with 0.002% solution of Fluorescamine in waterfree acetone as tlc spray. Viewed under 360 nm UV
Wagner & Grevel 1982a

PMR: Bailey *et al.* 1975

Synthesis:
Barger & Walpole 1909a & 1909b
Rosenmund 1909
Smith *et al.* 1972 (Synthesis and NMR)
Southon & Buckingham 1989

4-Methoxyphenethylamine has been reported from:
CACTACEAE
Coryphantha cornifera (DC.) LEM.
HORNEMAN *et al.* 1972 (no quantification) tlc, gc
Coryphantha ottonis (PFEIFF.) LEM.
HORNEMAN *et al.* 1972 (no quantification) tlc, gc
Coryphantha poselgeriana (DIETR.) BR. & R.
HORNEMAN *et al.* 1972 (no quantification) tlc, gc
Pelecyphora aselliformis EHRENBERG has been listed **erroneously** . The reference that was cited, NEAL *et al.* 1972, ran this alkaloid only as the dansyl-derivative using pure reference material. It was not found in the plant.
Trichocereus cuzcoensis BRITTON & ROSE also appears listed **in error**. The claim is not supported by any of the references that were cited.
ERICACEAE
Erica lusitanica RUD.
WHITE 1970

[The α, *O*-Dimethyl analog of Tyramine, **α-methyl-4-methoxyphenethylamine**, AKA *p*-Methoxy-amphetamine, was reported in the LEGUMINOSAE
Acacia berlandieri BENTHAM & ***Acacia rigidula*** BENTHAM. It was not detected in early Spring but was at 35.7 ppm & 15.7 ppm (resepctively) in late Autumn. Concentration was by fresh wt. in mixed leaves, petioles & tender stems. CLEMENT *et al*. 1997 & 1998 (respectively): gc-ms] (Accounts are questionable.)

4-Methoxy-beta-hydroxyphenethylamine

p-Methoxy-β-hydroxy-β-phenethylamine;
O-Methyloctopamine;
β-Hydroxy-4-methoxyphenethylamine.

First reported as a natural product by Horneman.
Synthetic said by HORNEMAN *et al.* 1972 to have been previously reported as a weak vasoconstrictor and, in large dosages, as a cardiac depressant. (Citing **CYBULSKI 1935)**

Shows MAO inhibiting activity. FERGUSON & KELLER 1975
Showed inhibition of the deamination of Tyramine but had insignificant activity against deamination of Tryptamine by rat brain Monoamine oxidase. KELLER & FERGUSON 1976

Synthetic route: FERGUSON & KELLER 1975

4-Methoxy-β-hydroxyphenethylamine has been reported from:
Coryphantha cornifera (DC.) BR. & R. var. ***echinus*** (ENGELM.) L.BENSON
HORNEMAN *et al.* 1972 (no quantification) tlc, gc, uv, nmr, ms
Coryphantha pectinata (ENGELMANN) BRITTON & ROSE
HORNEMAN *et al.* 1972 (no quantification) tlc, gc
Pereskia grandifolia HAW.
DOETSCH *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia tampicana WEB.
DOETSCH *et al.* 1980 (no quantification) tlc of fluorescamine conjugate, HPTLC.
Pereskiopsis chapistle (WEB.) BR. & R.
DOETSCH *et al.* 1980 (no quantification) tlc of fluorescamine conjugate

N-Methyl-4-methoxyphenethylamine

4-Methoxy-N-methylbenzeneethanamine, 9CI; 1-(4-Methoxyphenyl)-2-(methylamino)ethane; 4-Methoxy-N-methylphenethylamine; N-Methyl-tyramine O-methyl ether; N,O-Dimethyltyramine

Chemical Abstracts Registry Number: [4091-50-3]
NIOSH # SH 8110000.
(#M-00175 in SOUTHON & BUCKINGHAM 1989

$C_{10}H_{15}NO$
MW 165.235 SOUTHON & BUCKINGHAM 1989

Free base:
bp_{19} 141-142° SOUTHON & BUCKINGHAM 1989

Hydrochloride:
Chemical Abstracts Reg. No.: [35803-88-4]
mp 179.5-182° RANIERI & MCLAUGHLIN 1977
mp 181-182° (As the O-methyl ether of N-Methyl-tyramine) RETI 1953
mp 181-182° (white & fluffy) KELLER & FERGUSON 1976
mp 182-183° (Synthetic 182-184°) NEAL & MCLAUGHLIN 1970
mp 182-184° CHERAYIL 1973 (from KELLER & FERGUSON 1976)
Picrate (As O-methyl ether of N-Methyl-tyramine) mp 112° RETI 1953

Showed some inhibition of the deamination of Tyramine and Tryptamine by rat brain Monoamine oxidase. KELLER & FERGUSON 1976

Brilliant yellow chromophore under UV with Dansyl-chloride. NEAL *et al.* 1972

Synthetic route (from 4-MeO-PEA): KELLER & FERGUSON 1976

N-Methyl-4-methoxyphenethylamine has been reported from:
Ariocarpus retusus SCHEIDWEILLER
NEAL & MCLAUGHLIN 1970 (0.00045% dry wt.) tlc, mp, mmp, ir
Coryphantha bumamma (EHRENBERG.) BR. & R.
BRUHN *et al.* 1975 (trace) tlc, gc, gc-ms.
Coryphantha cornifera var. ***echinus***
HORNEMAN *et al.* 1972 (0.0002% by dry weight) tlc, gc
Coryphantha elephantidens LEMAIRE has appeared listed **in error**, the reference cited, HORNEMANN *et al.* 1972, did not report this alkaloid.
Coryphantha macromeris var. ***runyonii***
KELLER *et al.* 1973 (0.0005% in fresh) tlc, mp, ir, nmr
Coryphantha pectinata
HORNEMAN *et al.* 1972 (no quantification) tlc, gc
Coryphantha ramillosa CUTAK
SATO *et al.* 1973 (0.00092% dried weight. 0.1% of total alkaloid) tlc, mp, ir, nmr

Dolichothele uberiformis
Ranieri & McLaughlin 1977 (0.004% by dry weight) tlc, mp, mmp, ir, ms
Pelecyphora aselliformis Ehrenberg has been **erroneously** listed. The reference that was cited, Neal *et al.* 1972, ran this alkaloid only as its dansyl-derivative using pure reference material. It was not found in the plant.

(–)-N-Methyl-4-methoxy-beta-hydroxyphenethylamine

Longimammine;
β-Hydroxy-4-methoxy-N-methylphenethylamine

Chemical Abstracts Registry number: [57286-93-8]

Hydrochloride:
Chemical Abstracts Registry number: [57236-58-5]
Synthetic racemate mp 116-117º [Literature value 117-118] Ferguson & Keller 1975
mp 144-146º (Isolated (–)-form) Ranieri & McLaughlin 1976
mp 145-147º (Isolated (–)-form) Ranieri & McLaughlin 1977

Shows MAO inhibiting activity. Activity is greater than either demethyl or dimethyl analog. Ferguson & Keller 1975
Showed inhibition of the deamination of Tyramine but had insignificant activity against deamination of Tryptamine by rat brain Monoamine oxidase. Keller & Ferguson 1976

$[\alpha]^{25}_{D}$ –36º Ranieri & McLaughlin 1976
$[\alpha]^{25}_{D}$ –61º Ranieri & McLaughlin 1977

UV, NMR, MS: Ranieri & McLaughlin 1976

Synthesis:
Keller & Ferguson 1975
Ranieri & McLaughlin 1976

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.42 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1976

Color reactions with tlc visualization reagents:
Fluorescamine: No reaction
Overspraying with Dansyl chloride: Yellow fluorescence
Tetrazotized Benzidine (alone): White
Ranieri & McLaughlin 1976

Longimammine has been reported from:
Dolichothele longimamma (DC.) Br. & R.
Ranieri & McLaughlin 1976 (0.00037% by dry weight) tlc, ms, ir,
Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 [(–)-form; 0.016% dry wt] [This compound is listed twice in this paper. The second instance is a typo intending longimammamine]

N,N-Dimethyl-4-methoxyphenethylamine

4-Methoxy-N,N-dimethylbenzeneethanamine, 9CI; 1-(4-Methoxyphenyl)-2-(dimethylamino)ethane; O-Methylhordenine.

Chemical Abstracts Registry Number: [775-33-7]

$C_{11}H_{17}NO$
MW 179.261

Free base:
Colorless oil
bp_9 117-120º
Southon & Buckingham 1989

Hydrochloride:
Chemical Abstracts Reg. No.: [50822-98-5]
Blades from ethanol.
mp 176.5º / mp 279-280º dec.
Southon & Buckingham 1989
Short white needles mp 173-174º Keller & Ferguson 1976
mp 175-176º Cherayil 1973 from Keller & Ferguson 1976

Centrally active antihypertensive agent. Southon & Buckingham 1989

Showed some inhibition of the deamination of Tyramine and Tryptamine by rat brain Monoamine oxidase. Keller & Ferguson 1976

Synthetic route: Keller & Ferguson 1976

It was reported in the Cactaceae in
Browningia candelaris (Meyen) Britton & Rose
0.0327% by dry weight in the aerial parts.
Echeverría & Neimeyer 2012

Also reported from the bark of the Rutaceous *Teclea simplicifolia* by Badger *et al.* 1963

N,N-Dimethyl-4-methoxy-beta-hydroxyphenethylamine

β-Hydroxy-4-methoxy-N,N-dimethylphenethylamine

Calculated (%): C, 48.1, H, 4.7; N, 13.2
Found (%) C, 47.8; H, 4.9; N, 12.1
Base bp 124-126º at 0.6mm
Picrate 159-161º
Chapman *et al*. 1965

Shows MAOI activity. Ferguson & Keller 1975
Showed inhibition of the deamination of tyramine but had insignificant activity against deamination of tryptamine by rat brain monoamine oxidase. Keller & Ferguson 1976

Synthetic route:
Chapman *et al*. 1965
Keller & Ferguson 1975

N,N-Dimethyl-4-methoxy-β-hydroxyphenethylamine is not yet known from natural sources.

O-Methylcandicine

N,N,N-Trimethyl-4-methoxyphenethylamine; 4-Methoxy-N,N,N-trimethylphenethylamine.

$C_{12}H_{20}NO^+$
MW 194.296 (ion)
Southon & Buckingham 1989: entry M-00175

Chloride:
$C_{12}H_{20}ClNO$
MW 229.749
mp 206-207º Southon & Buckingham 1989
mp. 200-203º (from 95% Ethanol-Ethyl ether or with a low yield from water) Synthetic mp 206-207º Meyer *et al.* 1983.

Iodide:
MW 321.201
mp 214-215º Prisms. (204-206º) Southon & Buckingham 1989
Synthetic mp 214-215º Meyer *et al.* 1983. Mentions mp 218º reported by Read & Campbell 1930

(–)-form: $[\alpha]_D$ +62.0º (c=2.3, water)
(+)-form: $[\alpha]_D$ –65.8º (c=3.0, water)
chloride (from MeOH-Acetone) mp 161-162º in evacuated tube
^{1}H-nmr, sims and ir were identical for (+) and (–)
Brine shrimp assay to evaluate nicotine agonist activity showed it more potent than candicine.
Meyer *et al.* 1983

Synthesis: Meyer *et al.* 1983

O-Methylcandicine has been reported from:
Coryphantha greenwoodii H.Bravo as (+)-form
Meyer *et al.* 1983 (no quantification) tlc

Dopamine

4-(2-Aminoethyl)-pyrocatechol; 4-(2-Aminoethyl)-1,2-benzenediol, 9CI; α-(3,4-dihydroxyphenyl)-β-aminoethane; 3,4-Dihydroxyphenethylamine; 2-(3,4-Dihydroxyphenyl)ethylamine; DA; Hydroxytyramine; 3-Hydroxytyramine. (Sterling-Winthrop, Pitman-Moore) (Often supplied as hydrochloride.)
Hydrochloride: Dynatra, Intropin

WLN: Z2R CQ DQ
Hayward: 6R(CCZ)RRQRQRR

Chemical Abstracts Registry Number: [51-61-6] (000051516 is a typo)
NIOSH # UX 1088000

$C_8H_{11}NO_2$
Usdin & Efron 1979
MW 153.180
Southon & Buckingham 1989 # D-00449
MW 153.18 #3422 in Merck Ninth

Dopamine free base:
Stout prisms, highly sensitive to oxygen; discolors quickly. Merck Ninth
Free base readily autoxidizes. Southon & Buckingham 1989

Hydrochloride:
Chemical Abstracts Registry number: [61-31-7]
NIOSH # UX 1092000
mp 240-241º dec. (>220º dec.)
Southon & Buckingham 1989
White crystals mp 241º Reti 1950
Rosettes of needles from water mp 241º dec. (Also Anderson 1980
May be crystallized from methanol + ether.
Freely soluble in water
Soluble in methanol, hot 95% ethanol
Practically insoluble in ether, petroleum ether, chloroform, benzene, toluene
Soluble in aqueous solutions of alkali hydroxides.
Merck Ninth

Hydrobromide:
Crystals mp 210-214º (dec.) Merck Ninth
mp 212º Reti 1950

Picrate 189º
Styphnate 206º
Reti 1950

Pharmacological activity:
Adrenergic agent.
#3422 in Merck Ninth
Adrenergic drug
Southon & Buckingham 1989
Barger & Dale 1910 determined that it increased blood pressure in decerebrated cats 2% as much as adrenaline
Sympathomimetic activity discussed by Raymond-Hamet 1940

Pressor activity: Durand *et al.* 1962

Metabolism: Goodall & Alton 1968

Excretion: Von Euler & Hellner 1951

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

Synthesis:
Preparation from aminotyramine:
Waser & Sommer 1923
Preparation from homoveratrylamine (DMPEA):
Schöpf & Bayeler 1934
Hahn & Stiehl 1936
Zhang *et al.* 2002 used capillary electrophoresis (CE) for separation & quantification.

Isolation
Bruhn & Lundström 1976b (from ***Carnegia gigantea***)
Buelow & Gisvold 1944 (from ***Hermidium alipes***)
Durand *et al.* 1962 (from ***Piper amalago*** & ***Stachytarpheta jamaicensis***)

Assay:
Aures *et al.* 1968a & 1968b
Carlsson & Lindquist 1962
Choulis 1967
Clarke 1969 (*See* also 1986 Second edition)
Crawford & Yates 1970
Dean *et al.* 1980
Drujan *et al.* 1959
James 1948
Moffat & Horning 1970
Nikodijevic *et al.* 1969
O'Gorman *et al.* 1970
Oberman *et al.* 1970
Schweitzer & Friedhoff 1969
Spiegel & Christian 1971
Welch & Welch 1969
Wisser & Stamm 1969
(many from Usdin & Efron 1979)
Steelink *et al.* 1967 performed quantitative estimates using the absorption at 283 nm.

UV absorption spectra: Steelink *et al.* 1967.

Rf of Dopamine base on Whatman 1 paper:

A	B	Solvent system
0.31		Butanol-glacial Acetic acid-Water (4:1:5)
0.57		Methanol-Pentanol-Benzene-Water (2:1:1)
0.16		Methanol-Water (1:1)
0.71		Methyl ethyl ketone-Water (ratio not given)
0.49		Phenol-Water (atmosphere of HCl) (ratio not given)

Durand *et al.* 1962

On Paper System	Rf value Isolated	Reference
n-Butanol-Acetic acid-Water (4:1:5)	0.41	0.42
Phenol-Water (HCl vapor)	0.41	0.41
n-Butanol-0.5N HCl	0.20	0.20

Feng et al. 1961

Paper chromatography: Imaizumi *et al.* 1958

Preparative paper chromatography:
n-Butanol-Acetic acid-Water (4:1:5) on 3mm Whatman paper.
Bands located using test strips developed with ferricyanide reagent. The bands containing the alkaloid were then cut into the appropriate strips and eluted with 2N HCl.
Feng *et al*. 1961

General assay for sympathomimetic catecholamines using Potassium ferricyanide in buffer (pH 7.8) see James 1948

Dopamine has been reported from:
ALGAE
Monostroma fuscum
Southon & Buckingham 1989
CHENOPODIACEAE
Beta vulgaris L.
Hecker *et al.* 1970
Gardner *et al.* 1967
Spinacea oleracea L.
Gewitz & Völker 1961
Udenfriend *et al.* 1959
LAURACEAE
Persea americana Mill.
Udenfriend *et al.* 1959
LEGUMINOSAE
Acacia berlandieri Bentham
Clement *et al.* 1997 (3.6 ppm in early Spring / 25.3 ppm In late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (8.9 ppm early Spring/ 36.1 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Cytisus scoparius (L.) Link. (*Sarothamnus scoparius* Koch.)
Ghosal & Srivastava 1973a.
MUSACEAE
Musa paradisiaca L.
Askar *et al.* 1972
Deacon & Marsh 1971
Udenfriend *et al.* 1959
48±1.8 µg/g wet wt. in the fruit pulp and 720±27 µg/g in the fruit peel when ripe, decreasing to 22±0.8 µg/g in the pulp and 210±8 µg/g in the peel when ripened to the point of blackness.
Riggin *et al*. 1976
Musa sapientium
Southon & Buckingham 1989

NYCTAGINACEAE
Hermidium alipes S.Watson
Buelow & Gisvold 1944
PIPERACEAE
Piper amalago L.
Durand *et al.* 1962 (no yield included)
PORTULACACEAE
Portulaca oleracea L.
Chen *et al*. 2003
Feng *et al.* 1961 (detected but did not quantify)
Yue *et al*. 2005
Zhang *et al.* 2002 (0.15% dry wt.)
SOLANACEAE
Solanum tuberosum L.
Udenfriend *et al.* 1959
Bygdeman 1960
VERBENACEAE
Stachytarpheta jamaicensis Vahl.
Durand *et al.* 1962 (no yield included)

Reported from the CACTACEAE
Carnegiea gigantea (Engelmann) Britton & Rose
Bruhn & Lundström 1976b (0.26% fresh wt; as HCl, reported from young plants cultivated in the Netherlands but it was **NOT** observed in their analysis of Arizona wild-collected material)
Steelink *et al.* 1967 [Collected in Arizona.] Reported in cortical tissue (pulp) at 1%;
Variable amounts in cortex; 1.4% baseline in healthy tissue increased to 2.1% in response to injury. Increase is adjacent to the injury; not observed in the actual callus tissue.
In one case; a sample with 1.4% dopamine was taken. After 1 hour, a second sample that was taken immediately next to the site of the first showed 2.1%.
They also noted a a high dopamine content in samples taken near the base (which always has a heavy callus layer).
Dopamine concentrations were also reported to increase with exposure to air or to ascorbic acid solutions.
Lophophora williamsii (Lemaire) Coulter
Lundström 1971a (trace) glc

Also found in the brain and nervous system of animals. Southon & Buckingham 1989

Reported occurrences in human body fluids: see Davis 1989

Norepinephrine

3,4-Dihydroxy-β-hydroxyphenethylamine;
4-(2-Amino-1-hydroxyethyl)-1,2-benzenediol, 9CI;
α-(Aminomethyl)-3,4-dihydroxybenzyl alcohol, 8CI;
2-Amino-1-(3,4-dihydroxyphenyl)ethanol;
1-(3,4-dihydroxyphenyl)-2-aminoethanol;
4-(β-Amino-α-hydroxyethyl)catechol;
3,4-Dihydronorephedrine;
(–) Noradrenalin (Noradrenaline); norepinephrin;
β-Hydroxy-3,4-dihydroxyphenethylamine; Adrenor;
Aktamin (*l*-form as bitartrate); Arterenol (*l*-form as HCl) (Hoechst); Binodrenal (*l*-form as bitartrate); Levarterenol; Levonorepinephrine; Levophed (Sterling-Winthrop); Noradrec; Noradrine; Norefol; Norepirenamine; Nor-Epirenan (Byk.); Norexadrin(e); Norfelol; Norlevorine; Sympathin; Sympathin E; Urosympathin.

WLN: Z1YQR CQ DQ
Hayward: 6R(CQCZ)RRQRQRR
Usdin & Efron 1979 #1158

(R)-form (*l*-form)
CA Reg. No. 51-41-2
NIOSH # DN 5950000
(S)-form (*d*-form)
CA Reg. No. 149-95-1
NIOSH # DN 6125000
(±)-form (*dl*-form)
CA Reg. No. 138-65-8
NIOSH # DN 6300000
Southon & Buckingham 1989; entry N-00114

$C_8H_{11}NO_3$
MW 169.18

Free base:
l-form [(R)-form]
Microcrystalline; mp 216.5-218º (dec.)
$[\alpha]_D^{25}$ –37.3º (c= 5 in H_2O with 1 equiv. HCl)
Merck Ninth and Deulofeu & Rúveda 1971
Soluble in water. Southon & Buckingham 1989

d-form [(S)-form]
mp 215-217 (dec.)
$[\alpha]_D^{25}$ +37.4
Southon & Buckingham 1989
dl-form
Crystals, mp 191º dec.
Merck Ninth
Slightly soluble in water. Southon & Buckingham 1989
Hydrochloride
CA Reg. No. 55-27-6
NIOSH # DN 6650000
Southon & Buckingham 1989
mp 145.2-146.4º Deulofeu & Rúveda 1971
mp 191º Southon & Buckingham 1989

$[\alpha]_D^{25}$ -40.0º (H_2O) Deulofeu & Rúveda 1971

Pharmacological action:
l-form is physiologically active. [Both base and HCl are used in pharmaceutical applications]
Sympathomimetic hormone.
Used as vasopressor and adrenergic. Veterinary medicine also uses as a sympathomimetic and as a vasopressor in cases of shock.
Norepinephrine is widely used in man and other animals. It is the immediate precursor of adrenaline. It is of both adrenal origin and of adrenergic orthosympathetic postganglionic origin.
Merck Ninth Entry #6504
Base and HCl used as pharmaceutical adrenergics.
Used also as bronchodilator.
Southon & Buckingham 1989

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

Action: Weiner 1980b

Metabolic studies:
Axelrod & Kopin 1969
Avakian & Gillespie 1968
Gitlow *et al*. 1960
Gitlow *et al.* 1961
Gitlow *et al.* 1971
Glowinski & Baldessarini 1966
Goodall & Rosen 1963
Goodall & Kirschner 1958
Kovacsics & Saelens 1968
Kopin *et al.* 1962
Langer 1970
Whitby *et al.* 1961

Excretion: Von Euler & Hellner 1951

Physiology:
Von Euler 1955
Malmejac 1964

Historic review of synthesis: Loewe 1954
Synthesis of dl-form: Payne 1961

Biosynthesis: Stjarne 1966

Resolution of dl-form: Tullar 1948

Configuration: Pratesi *et al.* 1959

TLC System	Rf value Isolated	Reference
n-Butanol-Acetic acid-Water (4:1:5)	0.34	0.34
Phenol-Water (HCl vapor)	0.23	0.23
n-Butanol-0.5N HCl	0.12	0.12

Feng *et al*. 1961

Preparative paper chromatography:
n-Butanol-Acetic acid-Water (4:1:5) on 3mm Whatman paper.
Bands located using test strips developed with ferricyanide reagent. The bands containing the alkaloid were then cut into the appropriate strips and eluted with 2N HCl.
Feng *et al*. 1961

Zhang *et al*. 2002 used capillary electrophoresis (CE) for separation & quantification.

Assay: (many were from Usdin & Efron 1979)
Aizawa & Yamada 1969
Anton & Sayre 1968
Aures *et al.* 1968b
Bertler et al.1958
Clarke 1969
Crawford & Yates 1970
Crout 1961
Iversen & Glowinski 1966
Iversen & Jarrott 1970
James 1948
Jonsson & Malmfors
Kovacsics & Saelens 1968
Maickel *et al.* 1968
Manger *et al.* 1969
Moffat & Hornung 1970
Nikodijevic *et al.* 1969
O'Hanlon *et al.* 1970
Schweitzer & Friedhoff 1969
Shore & Olin 1958
Spiegel & Christian 1971
von Euler & Lishajko 1961
Weil-Malherbe & Bigelow 1968

Reported occurrences of norepinephrine:
Musa sapientum* var. *paradisiaca (bananas)
Foy & Parratt 1960
Waalkes *et al.* 1958
5.8±0.25 μg/g wet wt. in the pulp and 81±3.1 μg/g in the peel when ripe, decreasing to 1.4±0.1 μg/g in the pulp and 27±10 μg/g in the peel when ripened to the point of blackness.
Riggin *et al*. 1976

Portulaca oleracea L.
Chen *et al*. 2003
Feng *et al.* 1961 (0.25% dry wt.) Determined to be (-)-form.
Yue *et al*. 2005
Zhang *et al.* 2002 (0.25% dry wt.)

Scrophulariaceae
Scoparia dulcis L.
Freire et al. 1996 (Identified but did not quantify.) hplc

Norepinephrine has only been reported in one cactus:
Coryphantha macromeris var. ***runyonii*** by Keller 1978. (co-tlc, spectrophotofluorimetric assay indicated 5.54 μg/gm of fresh material.)

Identified in **Ch'an Su** (toad secretion) by Lee & Chen 1951. [Deulofeu & Rúveda 1971]
Bufo marinus by Lasagna 1951 and Märki *et al.* 1962. [Östland 1954 reported 0.20μg/gm of glands.] [Deulofeu & Rúveda 1971]

Review of reported occurrences in human fluids:
see Davis 1989

Epinine

4-[2-(Methylamino)ethyl]-1,2-benzenediol, 9CI;
4-[2-(Methylamino)-ethyl]-pyrocatechol, 8CI;
3,4-Dihydroxy-N-methylphenethylamine;
N-Methyl-3,4-dihydroxyphenethylamine;
4-(β-Methylaminoethyl)catechol; N-Methyl-2-(3,4-dihydroxy-phenyl)ethylamine; α-Desoxyadrenaline; Deoxyepinephrine; Desoxyepinephrine; Dihydroxyphenethylmethylamine; Ephinine; Epinin; Epine; N-Methyldopamine.

WLN: QR BQ D2M1
Hayward: 6R(CCNHM)RRQRQRR
#1126 in Usdin & Efron 1979

Chemical Abstracts Registry No.: 000501155 [501-15-5]

$C_9H_{13}NO_2$
MW 167.21
#6529 in CRC 1980-1981
[**Beilstein B13³, 2209**.]
MW 167.207
Southon & Buckingham 1989: entry #E-00073

Free base:
mp 188-189º (crystals from ethanol)
Southon & Buckingham 1989 #E-00073
Needles (alcohol)
mp. 188-189º (Also Anderson 1980
#6529 in CRC 1980-1981

Hydrochloride:
CA Reg. No.: 62-32-8
NIOSH # UX 1925000
Prisms from water. mp 179-180º
Southon & Buckingham 1989

Pharmacology: Dewhurst & Marley 1965
Adrenergic and vasoconstrictor.
Southon & Buckingham 1989
Barger & Dale 1910 found that it had one tenth the potency of adrenaline in increasing blood pressure in decerebrated cats
Reti 1950

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

Isolation: Tocher 1972

Synthesis: Buck 1930

Crystal structure: Giesecke 1976

Epinine has been reported from:
Cactaceae
Lophophora williamsii (Lemaire) Coulter
Lundström 1971a (trace) glc

Leguminosae

Acacia berlandieri Bentham
Clement *et al.* 1997 (1.9 ppm in early Spring / 10.8 ppm in late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (0.5 ppm early Spring/ 8.2 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Vicia faba L.
Piccinelli 1955 [from Smith 1977]

Epinephrine

3,4-Dihydroxy-β-hydroxy-N-methylphenethylamine; Adrenaline; Adrenalin; 3,4-Dihydroxy-α-[(methylamino) methyl]benzyl alcohol; β-Hydroxy-3,4-dihydroxy-N-methyl-phenethylamine; N-Methyl-β-hydroxy-3,4-dihydroxy-phenethylamine; Adnephrin(e) (Winthrop); Adrenal; Adrenalin-Medihaler (Kettelhack-Riker); Adrenalina; Adrenamine; Adrenan; Adrenapax; Adrenasol (Spiess); Adrenatrate (Cr.-Barnes); Adrenine (Mialhe); Adrenodis (Custodis); Adrenohorma (Hornona); Adrenosan (Sanabo); Adrenutol (Evans); Adrin(e) (Merck); Antiasthmatique; Asmatane Mist (Riker); Asthma Meter Mist (Dart); Asthma-Nefrin (Thayer); Astmahalin (Leo); Astminhal (Raupenstrauch); Balmadren, Bernarenin (Swiss Serum); Biorenine; Bosmin; Brevirenin (Curdts); Chelafrin; Corisol (Squire); Drenamist, Dylephrin (Neisler); Dyspne-Inhal (Fourton); Epifrin (Allergan); Epinefrina; Epinephran; Epinephrin; Epirenamine; Epirenan (Byk); Epirenin; Epitrate (Ophthalmos, Ayerst); Esphygmogenine; Exadrin (Astra); Glaucosan (Woelm); Glycirenan (Atmos, Silten); Haemostasin: Haemostatin; Hektalin (Aco); Hemisine; Hemostasin; Hemostatin(e); Hypernephrin (Feinchem); Hyporenin (Sanosa); Intranefrin (Intra Medinal); Kidoline (Gallier); Levorenin(e); Lyophrin (Alcon); Medihaler-EPI (Riker); Metanephrin; Methylarterenol; Mucidrina; Myosthenine; Mytrate (Prof.); Nephedrine; Nieraline; Paranephrin(e) (E. Merck); Phenylephrine; Renagladin(e); Renaglandulin; Renaleptine (Specia); Renalina; Renoform; Renostypricin; Renostypticin; Scurenaline (Specia); Sindrenina; Soladren(e); Sphygmogenin; Stryptirenal (Rorer); Supranefran (Rorer); Supranephrane; Supranephrin(e) (Rorer); Supranol (Novocol); Suprarenalin(e) (Armour); Suprarenenin(e) (Hoechst, Winthrop); Suprel; Surenine; Surrenine: Susphrine (Brewer); Sympathin I; Takamina; Takamine; Tokamina; Tonogen; Vaponefrin (Vaponefrin); Vasoconstrictine (Gerda); Vasoconstrictor; Vasodrine (Premo); Vasoton (Ufarom); Vasotonin. [as phosphate: Phosphonephrin (Schiefflin)] [as ascorbate: Episcorb (Paschall); Tonohormon (Byk.)] [as borate: Eppy (Barnes-Hind)].

WLN: QR BQ DYQ1M1
Hayward: 6R(CQCNHM)RRQRQRR
Usdin & Efron 1979

CA Reg. No.: 000051434
Usdin & Efron 1979 #1093

$C_9H_{13}NO_3$
MW 180.20 (Merck Ninth)

Free base: mp 216-218º Deulofeu & Rúveda 1971

$[\alpha]_D^{20}$ -50.7º (HCl, H_2O) Deulofeu & Rúveda 1971

LD_{50}:
4 mg/kg/ip/m (Barnes & Eltherington 1965.)
1.5 mg/kg/sc/m (*Ibid*)
1.0 mg/ kg/ iv/ r (*Ibid*)
3.5 mg/ kg/ im/ r (*Ibid*)
5.0 mg/ kg/ sc/ r (*Ibid*)
50 mg/ kg/ po/ m (Merck Index- Seventh Edition.)
Usdin & Efron 1979

Pharmacological action: Sympathomimetic (Merck Index-Seventh Edition.) Usdin & Efron 1979
See also Weiner 1980b

Human dose: (HCl)
sc: 0.2-1 mg
im: 0.4-3 mg
Usdin & Efron 1979 cited Merck Index 7th Ed.

Physiology:
Berecek & Brody 1982
Moore & Bloom 1979

Metabolism:
Axelrod *et al.* 1959
Goodall & Kirschner 1968

Excretion: Von Euler & Hellner 1951

Review: Wong 2003

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

Formation in humans:
Ciaranello *et al*. 1969
Pohorecky *et al.* 1969

Assays:(many are from Usdin & Efron 1979)
Bertler *et al.* 1958
Choulis 1967
Clarke 1969
Crawford & Yates 1970
Iversen *et al.* 1966
James 1948
Manger *et al.* 1969
Nikodijevic *et al.* 1969
O'Hanlon *et al.* 1970
Pekkarinen & Pitkanen 1955
Spiegel & Christian 1971
Weil-Malherbe & Bigelow 1968

Widely distributed in animals. Generally found in animals not having Octopamine and vice versa.

Identified in:
Bufo arenarum 5.1% in glands (Fischer & Lecomte 1950)
Bufo crucifer (Pereira & de Oliveira 1961)
Bufo formosus (Ohno & Komatsu 1957)
Bufo marinus 5-7% in secretions (Abel & Macht 1911-1912) / 6-11.6% (Fischer & Lecomte 1950 / (also identified by Märki *et al.* 1962)
Bufo mauretanicus 1% (Fischer & Lecomte 1950)
Bufo regularis 4.6% in secretions (Chen & Chen 1933)
Bufo vulgaris 3.7 μg/gram of gland (Östlund 1954) Deulofeu & Rúveda 1971

Isolated from:
Ch'an Su (Jensen & Chen 1929 and Chen *et al.* 1931)
Bufo arenarum (Deulofeu 1935)/ 4 mg/gm of dried secretion (Jensen 1935)
Bufo marinus 4.5% dried secretions (Abel & Macht 1911-1912) / 1.35% in dried secretions (Slotta *et al.* 1937)
Bufo paracnemis (Deulofeu & Mendive 1938)
Bufo regularis (3 mg/gm (Jensen 1935)

Musaceae
Musa sp. (bananas)
Waalkes *et al.* 1958
Scrophulariaceae
Scoparia dulcis L.
Freire et al. 1996 (Identified but did not quantify) hplc

Epinephrine has been reported in the cactus:
Coryphantha macromeris var. ***runyonii*** L.Benson
Keller 1978 (co-tlc, spectrophotofluorimetric assay indicated 14.22 μg/gm in fresh material.) [This was mistakenly thought by Keller and associates to be the first report of Adrenaline from a plant.]

Review of reported occurrences in human fluids: *See* Davis 1989

N,N-Dimethyldopamine has not yet been reported from cacti. It apparently has only been reported from the Leguminous ***Acacia rigidula*** Bentham
(11.2 ppm early Spring/ 44.6 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems)
Clement *et al*. 1998 gc-ms (This account is questionable.)

N-Methyladrenaline

4-[2-(Dimethylamino)-1-hydroxyethyl]-1,2-benzenediol; α-(Dimethylaminomethyl)-3,4-dihydroxybenzyl alcohol; α-(3,4-dihydroxyphenyl)-2-dimethylaminoethanol; α-(3,4-dihydroxyphenyl)-α-hydroxy-β-dimethylaminoethane; Dimethylaminomethyl-(3,4-dihydroxyphenyl) carbinol; α-(Dimethylaminomethyl)-protocatechuyl alcohol; Methadren(e) (as dl-form); N,N-Dimethyl-β-hydroxy-3,4-dihydroxyphenethylamine; N-Methylepinephrin(e).

WLN: QR BQ DYQ1N1&1
Hayward: 6R(CQCNM2)RRQRQRR

$C_{10}H_{15}NO_3$
MW 197.23

dl-form:
Crystals from Alcohol-Ethyl acetate, mp. 142-143º

d (–)-form:
Crystals from Ethyl acetate, mp. 149-150º

$[\alpha]_D^{18}$ –65.1º (c= 1.41 in 0.5N HCl)

l (+)-form:
Crystals, mp 149-150º

$[\alpha]_D^{18}$ +62.3º (c= 1.4)

Assay: James 1948

Preparation and resolution of racemate
Manna & Campiglo 1959

Configuration: Manna & Ghislandi 1964

LD_{50}: 105 mg/ kg/ sc/ rat

Pharmacological action: Sympathomimetic and adrenergic.

Largely from: Merck Index Ninth Edition # 5937 and Usdin & Efron 1979 #1150 [who cite Merck Index 7th]

Not yet reported from cacti.

Coryneine

N,N,N-Trimethyldopamine; 3,4-Dihydroxy-N,N,N-trimethylphenethylamine; 3,4-Dihydroxy-phenethyl-trimethylammonium cation;
3,4-Dimethoxy-N,N,N-trimethylammonium phenethylamine; Oxycandicine,

Coryneine iodide: N,N-Dimethyl-DMPEA methiodide

$C_{11}H_{17}NO_3$
Isolated in 1% yield from ***Stetsonia coryne*** by Reti *et al.* 1935 [as ***Cereus coryne***]
Chloride 200º
Synthesis Barger & Ewins 1911

Sympathomimetic action Barger & Dale 1910
Pharmacology studied by Reti *et al.* 1935
Action is similar to candicine but stronger.
Reti 1950

Evidently the decision to analyze this plant for alkaloids originally came about as a result of noticing that the decomposing cacti stunk of methylamines.

Reti and coworkers isolated an alkaloid from it, promptly injected it into a dog, evaluated it on isolated tissues and a toad, and, after noting the similarity of its effects to candicine, decided that it was a quaternary amine.

Through pharmacological comparisons and subsequent chemical tests they determined it to be coryneine (which they called Oxycandicine)
Reti *et al.* 1935

Editor's note: This compound was isolated as its iodide (which is a common approach for similar quaternary amines). It does not exist as the iodide in the plant.

Coryneine has been reported from the **CACTACEAE** only in
Stetsonia coryne (Salm-Dyck) Britton & Rose
Reti 1954b (mentions)
Reti *et al.* 1935 (1% dry wt.)

Also reported from:
LEGUMINOSEAE
Alhagi pseudalhagi. (Bieb.) Desv.
Ghosal *et al.* 1974 (28 mg from 10.3 kg of dry plant) tlc, uv, nmr.
Ghosal & Srivastava 1973a. tlc, pmr, uv
Desmodium triflorum DC
Ghosal *et al.* 1972d (0.0003% in roots. 28 mg/ 8.3 kg.)
RUTACEAE
Fagara hyemalis (St. Hill) Engl.
Mester 1973 cited Kuck *et al.* 1966

3-Hydroxy-4-methoxyphenethylamine

O^4-Methyldopamine; O-4-Methyldopamine; 5-(2-Aminoethyl)-2-methoxyphenol, 9CI, 8CI.

CA Reg. No.: [3213-30-7]
Identified chromatographically.
Southon & Buckingham 1989 #D-00449

Sulfate mp 163º colorless needles
Picrate mp 203-204º (dec.)

Synthesis.
Hahn & Rumpf 1938

Agurell *et al.* 1971b found small quantities of what they identified as this alkaloid. In addition to their usual identifications they also used colorimetric determinations to differentiate this from 4-Hydroxy-3-methoxyphenethylamine.

They ran tlc of both compounds (isolated from cacti; the first from *Pachycereus pecten-aboriginum*, and the latter from *Trichocereus cuzcoensis*) along with synthetic reference compounds of each.

Both the alkaloid from *Pachycereus pecten-aboriginum* and a reference sample of synthetic 3-Hydroxy-4-methoxyphenethylamine showed a blue color with Gibbs' reagent while the natural and synthetic 4-Hydroxy-3-methoxyphenethylamine showed a brown color with Gibbs'. tlc was said to separate them well.

Further confirmation was by glc using trimethylanilinium hydroxide for *on-column* methylation of the phenolic groups was performed, in both cases yielding 3,4-dimethoxyphenethylamine.

They used plants obtained from W. Haage, Erfurt, DDR (Germany).

[Agurell *et al.* was Stig Agurell, Jan G. Bruhn, Jan Lundström and Ulla Svennson]

Occurrence reported:
Cactaceae
Pachycereus pecten-aboriginum (DC) Br. & R.
Agurell *et al.* 1971b (1-10% of the 1-10 mg of total alkaloids/ 100 gm of fresh plant) tlc, gc, gc-ms and additional identification described above. [Obtained via commercial sources in Europe]
[Strömbom & Bruhn 1978 were unable to find this alkaloid in this species. They were only able to find 4-Hydroxy-3-methoxyphenethylamine; using tlc, gc and gc-ms. Bruhn & Lindgren 1976b found only salsolidine with traces of 3,4-dimethoxyphenethylamine.]
[Both Strömbom & Bruhn 1978 and Bruhn & Lindgren 1976 used material collected from wild: Michoacán, Mexico]
Pachycereus weberi (Coulter) Backeberg appears listed in **error**, or at least the reference that was cited, Smith 1977, does not mention this.

Leguminosae

Acacia rigidula Bentham
Clement *et al*. 1998 (15.8 ppm early Spring/ 163.2 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

[Interestingly the only reported occurrence of **N-Methyl-3-hydroxy-4-methoxyphenethylamine** was also from ***Acacia rigidula*** Bentham
Clement *et al*. 1998 reported 19.2 ppm early Spring/ 184.7 ppm late Autumn] (This account is questionable.)

The **erroneous** listing of 2-Methoxytyramine reported from *Trichocereus courantii* (K.Schumann) Backeberg is a typo

3-Methoxytyramine

3-Methoxy-4-hydroxyphenethylamine;
4-Hydroxy-3-methoxyphenethylamine;
Dopamine-3-methyl ether;
O^3-Methyldopamine; O-3-Methyldopamine;
Homovanillylamine.

$C_9H_{13}NO_2$ Anderson 1980

HCl
mp 202-206º (isolated)/ reference mp 211-213.5º Pardanani et al. 1978
mp 204-206º (isolated) / reference mp 210º
Crosby & McLaughlin 1973
mp 206-209º (isolated)/ reference mp 207-209º (mmp 207-209º) Pardanani et al. 1977
mp 209-212º (from Ethanol-Ether) Pummangura & McLaughlin 1981a

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

3-Methoxytyramine has been detected in the urine of patients with various brain disorders and cancers of the nervous system.
Crosby & McLaughlin 1973 cited Perry *et al*. 1965 & Von Studnitz 1968

Reported occurrences in human body fluids: see Davis 1989

[3-Methoxy-L-tyrosine (α-carboxyl-3-methoxytyramine) is a major metabolite of L-DOPA (α-carboxyldopamine) in man and other animals. Merck Ninth #5926]

3-Methoxytyramine has been reported from:
Cactaceae
Aztekium ritteri (Böd) Böd
Starha 1994 (Less than 0.0001% by fresh wt.) gc-ms
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Pummangura & McLaughlin 1981a (0.020% in dry plant) tlc, mp, mmp, ir, ei-ms.
[Also reported in Pummangura *et al.* 1981b]
[Not identified by Ferrigni *et al.* 1984]
Carnegiea gigantea (Engelmann) Britton & Rose
Bruhn *et al.* 1970 (traces) tlc
[Bruhn & Lundström 1976b reported small amounts]
Echinocereus merkerii Hildm.
Agurell *et al.* 1969 (tlc, glc)
Epithelantha micromeris (Engelmann) Weber
Starha 1994 (0.0059% by fresh wt.) gc-ms
Starha 1995b (0.006% by fresh wt. was isolated)
Islaya minor Backeberg (T.)
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Lophophora williamsii (Lemaire) Coulter
Lundström 1971a (trace) glc
Lundström 1972 observed in glc
Opuntia imbricata Haworth
Meyer *et al.* 1980 (no quantification) tlc, ms
Opuntia spinosior (Engelmann) Toumey
Pardanani *et al.* 1978 (0.0011% dry weight) tlc, mp, ir, ms
Opuntia subulata (Mühlenpfordt) Engelmann
Meyer *et al.* 1980 (no quantification) tlc
Pachycereus pecten-aboriginum (DC) Br. & R.
Strömbom & Bruhn 1978 (trace) tlc, gc, hplc, gc-ms. [This was the sole phenethylamine they reported & the major alkaloid in the phenolic fraction]
Pereskia corrugata Cutak
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskia grandifolia Haw.
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Pereskiopsis chapistle (Web.) Br. & R.
Doetsch *et al.* 1980 (no quantification) tlc of fluorescamine conjugate
Stetsonia coryne (SD.) Br. & R.
Agurell *et al.* 1971b (Over 50% of the 1-10 mg of total alkaloids/ 100 grams of fresh plant. Note: Agurell did not look for quaternary alkaloids such as coryneine.) tlc, gc, glc-ms.
Trichocereus bridgesii (SD.) Br. & R.
Agurell 1969c (1-10% of over 50 mg total alkaloids/ 100 gm of fresh plant) ms, ir
Trichocereus camarguensis Card.
Agurell 1969c (trace) ms
Trichocereus courantii (K.Schum.) Backbg.
Agurell *et al.* 1971b (1-10% of the 10-50 mg of total alk./ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus cuzcoensis Br. & R.
Agurell *et al.* 1971b (Over 50% of the over 50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Lindgren *et al.* 1971 (amount not specified.) (glc-ms)
Trichocereus knuthianus Backbg.
Agurell *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus macrogonus (SD.) Ricc.
Agurell 1969c (1-10 % of 10-50 mg total alkaloids/ 100 gm of fresh plant) ms
Trichocereus manguinii Backbg.
Agurell *et al.* 1971b (1-10% of 10-50 mg of total alkaloids/

100 grams of fresh plant) tlc, gc, glc-ms
Trichocereus pachanoi Britton & Rose
Crosby & McLaughlin 1973 (0.01% by dry weight) mp, mmp, ir, glc-ms [Obtained from a commercial source in California]
Agurell & Lundström 1968 glc, gc-ms
Agurell 1969c (1-10% of over 50 mg total alkaloids/ 100 gm of fresh plant) ms, ir [Obtained from a commercial source in Europe]
Agurell 1969b (Less than 0.01%) gc-ms, ir
Trichocereus peruvianus Britton & Rose
Agurell 1969c (trace) ms
Pardanani *et al.* 1977. (0.01% by dry wt.) tlc, mp, mmp, ir.
Trichocereus taquimbalensis Card.
Agurell *et al.* 1971b (trace) tlc, gc. gc-ms
Trichocereus werdermannianus Backbg.
Agurell 1969c (trace) ms, ir
Agurell 1969b (low concentration but major alkaloid of the phenolic fraction) gc-ms, ir
Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (2.6 ppm eaarly Spring / 15.3 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (1.8 ppm early Spring/ 12.9 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

Normetanephrine

α-(Aminomethyl)-4-hydroxy-3-methoxybenzenemethanol;
4-Hydroxy-3-methoxy-α-(aminomethyl)benzyl alcohol;
α-(Aminomethyl)-vanillyl alcohol;
1-(4-Hydroxy-3-methoxyphenyl)-2-aminoethanol;
4,β-Dihydroxy-3-methoxyphenethylamine;
3-Methoxy-β-hydroxytyramine; 3-O-Methylarterenol;
3-O-Methylnoradrenaline; Normetanephrine;
N-Demethyl-metanephrine;
3-O-Methylnorepinephrine; Normethanephrine;
3-Methoxynoradrenaline; Normetadrenaline;
3-Methoxynorepinephrine; 3-O-Methylarterenol;
NME; NMN.

WLN: Z1YQR DQ CO1
Hayward: 6R(OM)RR(CQCZ)RRRQ
Usdin & Efron 1979 #1159

CA Reg No.: 000097314
Merck Ninth # 6516

$C_{19}H_{25}NO_2$
MW 183.20
Merck Ninth # 6516

mp 192-195º Southon & Buckingham 1989: in entry M-00141
dl-form (as hydrochloride):
Prisms from absolute ethanol, mp. 206-207º (dec.)
UV max (absolute EtOH): 232, 282 nm (ε 7100, 2970)
Merck Ninth

Preparation:
Axelrod *et al.* 1958
Fodor *et al.* 1951
Heacock & Hutzinger 1961
Merck Ninth # 6516

Assay: (from Usdin & Efron 1979)
Anggard *et al.* 1969
Bertani *et al.* 1970
Borud & Gjessing 1970
Breese *et al.* 1969
Clarke 1969
Crawford & Yates 1970
Haggendal 1963
Leon *et al.* 1969
Moffat & Hornung 1970
O'Gorman *et al.* 1970
Schweitzer & Friedhoff 1969
Taniguchi *et al.* 1964

This naturally occurring adrenaline derivative is found co-occurring with metanephrine in urine and some tissues.

For a review of its reported occurrence in human fluids, see Davis 1989

Not yet reported from cacti.

N-Methyl-3-methoxytyramine

N-Methyl-4-hydroxy-3-methoxyphenethylamine;
3-Methoxy-4-hydroxy-N-methylphenethylamine;
4-Hydroxy-3-methoxy-N-methylphenethylamine.

$C_{10}H_{15}NO_2$

Hydrochloride:
mp 154-155º Anderson 1980
mp 150-155º Pummangura *et al.* 1977

Dark purple with Fluorescamine (under UV), Golden when oversprayed with Dansyl-chloride.
Yellow with Tetrazotized Benzidine.
Pummangura *et al.* 1977

Synthesis: Pummangura *et al.* 1977

N-Methyl-3-methoxytyramine has been reported from:
Leguminosae
Acacia rigidula Bentham
Clement *et al*. 1998 (3.4 ppm early Spring/ 28.4 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems)

gc-ms (This account is questionable.)
CACTACEAE
Lophophora williamsii
LUNDSTRÖM 1971a (trace) glc
LUNDSTRÖM 1972 observed in glc
Pilocereus maxonii (ROSE) KNUTH
PUMMANGURA *et al.* 1977 (0.002% by dry weight) tlc, uv, ms, mp, mmp.
Trichocereus courantii (K.SCHUM.) BACKBG.
AGURELL *et al.* 1971b (10-50% of 10-50 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms

Metanephrine

N-Methyl-3-methoxy-β-hydroxytyramine;
4-Hydroxy-3-methoxy-α-[(methylamino)methyl]benzenemethanol, 9CI; α-(Methylaminomethyl)-vanillyl alcohol; α-[(Methylamino)methyl]vanillyl alcohol, 8CI; N-Methyl-3-methoxy-β,4-dihydroxyphenethylamine; 3-O-Methylepinephrine; 3-O-Methyladrenaline; O^3-Methyladrenaline; 1-(4-Hydroxy-3-methoxyphenyl)-2-methylaminoethanol.

CA Reg. No.: [5001-33-2]
SOUTHON & BUCKINGHAM 1989: entry M-00140

$C_{10}H_{15}NO_3$
MW 197.23 MERCK Index Ninth Edition. Entry #5778
MW 197.233 SOUTHON & BUCKINGHAM 1989

mp 158-159º SOUTHON & BUCKINGHAM 1989

dl-form (Hydrochloride):
Prisms from Ethanol-Ether mp 175º (decomp.)
(Crystals also reported from dilute acetone) MERCK Index

uv max (ethanol): 231, 280 nm (e 7600, 3100) MERCK Index

Preparation (MERCK Index):
KULZ & HORNING, Ger. pat. 682,394 1939
AXELROD *et al.* 1958
HEACOCK & HUTZINGER 1961

Chromophores with tlc spray reagents:
Fluorescamine (under UV) - Yellow
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Yellow-brown
RANIERI & MCLAUGHLIN 1975

Sympathomimetic agent. SOUTHON & BUCKINGHAM 1989

Metanephrine has been reported from::
Coryphantha macromeris var. ***runyonii*** L.BENSON
KELLER *et al.* 1973 (0.0002% in fresh) tlc, mp, ir, nmr
aka *Coryphantha runyonii*
KELLER *et al.* 1978 (co-tlc)

Naturally occurring derivative of adrenaline.
Found in urine and certain tissues.

Occurrence in human fluids: *See* DAVIS 1989

NAMT

N-Acetyl-3-methoxytyramine

WLN: 1VM2R DQ CO1
Hayward: 6R(CCNHCVM)RR(OM)RQRR

$C_{11}H_{15}NO_3$

USDIN & EFRON 1979 #1157

Not yet reported from cacti

N,N-Dimethyl-3-methoxytyramine

N,N-Dimethyl-4-hydroxy-3-methoxyphenethylamine; N,N-Dimethyl-3-methoxy-4-hydroxyphenethylamine; 4-Hydroxy-3-methoxy-N,N-dimethylphenethylamine; 3-Methoxy-4-hydroxy-N,N-dimethylphenethylamine; 3-Methoxy-N,N-dimethyltyramine.

$C_{11}H_{17}NO_2$

Hydrochloride:
mp 188-192º PUMMANGURA *et al.* 1977
mp 190-191º ANDERSON 1980

Rf 0.55 on silica gel G with Chloroform-Ethanol-Diethylamine (85:5:10) PUMMANGURA *et al.* 1977

Colorimetric reactions with tlc spray reagents:
Whitish with Gibbs' reagent (0.1% 2,6-dichloroquinone chlorimide in ethanol followed by 10% sodium carbonate) BRUHN & BRUHN 1973.
[The isomeric N,N-Dimethyl-3-hydroxy-4-methoxyphenethylamine: Rf 0.44 and a blue color with Gibbs'.]
No color with Fluorescamine (under UV), Yellow when oversprayed with Dansyl-chloride,
Yellow with Tetrazotized Benzidine.
PUMMANGURA *et al.* 1977

Synthesis:
PUMMANGURA *et al.* 1977

N,N-Dimethyl-3-methoxytyramine has been reported from:
Ariocarpus agavioides (Castañeda) E.F.Anderson
Bruhn & Bruhn 1973. (trace) tlc, gc, glc-ms
***Lophophora* sp.** var. Vieska, Mex.
Štarha & Kuchyna 1996 (0.02% [± 0.01] of the total alkaloid content) (Total alkaloid concentration not included) gc, gc-ms
Lophophora williamsii
Lundström 1971a (trace) glc
Lundström 1972 observed in glc
Pilocereus maxonii (Rose) Knuth
Pummangura *et al.* 1977 (0.004% by dry weight) tlc, mp, UV, ms.

[The **N-methyl cation of N,N-Dimethyl-3-methoxytyramine**, **Salicifoline**, has been reported from the Leguminous ***Alhagi pseudalhagi*** and the Magnoliaceous ***Michelia alba*** .
Ghosal *et al.* 1974 [0.011 gm from 10.3 kg of dry plant) tlc, UV.] [for *Alhagi*]
Yang *et al.* 1962 [for *Michelia.*]

N-Methylmetanephrine

N,N-Dimethyl-3-methoxy-β-hydroxytyramine;
N,N-Dimethyl-3-methoxy-β,4-dihydroxyphenethylamine;
β-Hydroxy-3-methoxy-N,N-dimethyltyramine;

$C_{11}H_{17}NO_3$
MW 211.260
Southon & Buckngham 1989: entry M-00140

Only reported from:
Coryphantha macromeris var. ***runyonii*** L.Benson [aka *Coryphantha runyonii*]
Keller *et al.* 1973 (trace amounts) nmr, tlc, mp, ir

3,4-Dimethoxyphenethylamine

DMPEA; 3,4-Dimethoxy-β-phenethylamine; 3,4-Dimethyldopamine; DIMPEA; DMPE; DMPA; DMP; 3,4 dm PEA; 3,4-diMeO-PEA; Homoveratrylamine. [Homo-veratrylamin (Gr.)]
[β-(3,4-Dimethoxyphenyl)äthylamin (Gr.)]

WLN: Z2R CO1 DO1
Hayward: 6R(OM)R(OM)RR(CCZ)RR

CA Reg. No.: 000120207

(#1118 in Usdin & Efron 1979)
(#60 in Shulgin & Shulgin 1991)

$C_{10}H_{15}NO_2$
MW 214.4 Hardman *et al.* 1973

Free base:
Pale yellow oil. Shulgin & Shulgin 1991
bp 188º at 15mm Anderson 1980
Soluble in Ether. Shulgin & Shulgin 1991
Soluble in Methanol. Ghosal & Srivastava 1973b
Soluble in Ethanol Mata & McLaughlin 1980b
Soluble in Chloroform and in Ethanol.
Insoluble in Petroleum ether.
Pardanani *et al.* 1978
Hydrochloride:
Beautiful white crystals (from CH_3CN) Shulgin & Shulgin 1991
mp 129-131º (crude isolate from Isopropanol-Ethyl acetate) After another recrystallization mp 128-131º (contaminated with mescaline)/ mp 152-155º (reference material). Pardanani *et al*. 1978 (They were unable to induce crystallization from Ethanol-Ether)
mp 149º (from Ethanol-Ether) Mata & McLaughlin 1980b [Their reference sample from Calbiochem showed mp 150º)
Hydrochloride is highly soluble in chloroform. Agurell 1969b. Also soluble in Ether. Pardanani *et al.* 1978

Picrate mp 165º Kindler & Peschke 1932a

Dosages in humans of 1000 mg. had no observable effects. [Also found to be inactive in man by Charalampous & Tansey 1967.] Shulgin 1973 & 1976
Evaluated in humans up to the 1000 mg. level. p.o. and 10 mg. i.v. Shulgin & Shulgin 1991
Not hallucinogenic. Hollister & Friedhoff 1966

Reported from urine of schizophrenics [Friedhoff & Van Winkle 1962]
Pink spot observed by Friedhoff & VanWinkle reported to be positively correlated to DMPEA by Creveling & Daly 1967
Reports showing it to be present in all populations, only in urine of mentally ill or derived from exogenous sources are summarized by Siegel & Tefft 1971 who found it present in **all** human urine samples (using dansyl derivatives and mass spectrometry).

Found in urine of both populations. Higher concentrations observed in urine of schizophrenics by Creveling & Daly 1967, Friedhoff *et al.* 1977, Oon *et al.* 1977 and Vogel *et al.* 1967

Low incidence of correlations and inconsistent excretion. Faurbye & Pind 1964 and Vogel *et al.* 1967.

Found in lower concentrations in urine of Parkinson's patients than normal controls Rinne & Sonninen 1967.

Above from: Davis 1989

Shulgin and coworkers found it not to be correlated with schizophrenia or to have ***ANY*** effects in human evaluations. Shulgin *et al.* 1966

See also; Hollister & Friedhoff 1966 [Leo E. Hollister and Arnold J. Friedhoff observed no effects.]

Shulgin 1973 page 51; and 1976 p. 92.

[Reviews of studies of mental illness as linked to abnormalities of the central noradrenaline and serotonin systems. [from Davis 1989]

Ågren 1983

and Asberg & Träskman 1981

and Cowdry & Goodwin 1978

and Garver & Davis 1979

and Goodwin & Potter 1979

and Mass *et al.* 1980

and Post *et al.* 1980

and Van Praag 1982

and Willner 1983

Pharmacological observations in animals:

Produced ataxia, clonic and tonic convulsions and muscle rigidity and tremors in dogs. (15-200 mg/kg/iv range)

Produced only ataxia and muscle rigidity in monkeys. (50-300 mg/kg/iv range)

Mydriasis, salivation and vascular flushing was seen in dogs and monkeys but piloerection was only observed in monkeys.

Dyspnea was observed in both but emesis, apprehension (or fright), bizzarre body attitudes, apparent hallucinations and hyperpnea were only seen in dogs. Hardman noted that it was the least active of the compounds that they evaluated.

Found to be a central nervous system depressant devoid of peripheral sympathomimetic activity by Epstein *et al.* 1932. Hardman *et al.* 1973

Found to be a strong inhibitor of succinic dehydrogenase. Clark *et al.* 1954

MAO inhibition reported: Found to show some inhibition of the deamination of Tyramine and Tryptamine by rat brain MAO. Keller & Ferguson 1977

Pharmacology in animals:

Bridger & Mandel 1967

Dill *et al.* 1969

Levis & Caldwell 1971

Little *et al.* 1968

Smythies & Levy 1960

Smythies & Sykes 1966

DMPEA

Animal	Route	LD_{50} (mg/kg)	LD_{50} (mM/kg)
Mouse	ip	363	1.69
Rat	ip	146	0.68
Guinea pig	ip	375	1.75
Dog	iv	122	0.57
Monkey	iv	220	0.98

Used synthetic hydrochloride supplied by the Army Chemical Center, Edgewood Arsenal.

Hardman *et al.* 1973

LD_{50} 315 ± 20.5 mg./kg./ ip in mice. Determined over a 24 hr. period. Hydrochloride salt implied. Not specifically stated for 3,4-dimethoxyphenethylamine. (Male, albino, Yale-Swiss mice) Administered in 30% aqueous propylene glycol.

Ho *et al.* 1970.

Ho *et al.* 1970 also found a potentiation of barbiturate sleeping time.

Metabolism: Friedhoff & Hollister 1966

Study of relative inhibition of the N-acetylation of *p*-Octopamine by N-acetyltransferase obtained from malpighian tubules and cerebral ganglia of *Periplaneta americana* [the American Cockroach]; Martin & Downer 1989

Assay: Usdin & Efron 1979 cited
Huszti & Borsy 1968 and
Moffat & Horning 1970

λmax of hydrochloride: 207, 230, 278 mμ.

Speir *et al.* 1970

Reported chromophores with tlc reagents:

Brilliant yellow chromophore under UV with Dansyl-chloride. Neal *et al.* 1972

Bright yellow with Fluorescamine (under UV) Mata & McLaughlin 1980b

Bright yellow with Fluorescamine and Faint yellow with Tetrazotized Benzidine. Pummangura *et al.* 1977

Rf 0.46 in tlc on Merck Kieselgel 60 F 254. Developed in: Ether-Methanol-25% Ammonium hydroxide (17:2:1)

Aquamarine with 0.002% solution of Fluorescamine in waterfree acetone as tlc spray. Viewed under 360 nm UV

Wagner & Grevel 1982a

Difficulties in separating this compound from mescaline in liquid and thin-layer chromatography is repeatedly encountered in the literature

Neal *et al.* 1972 claimed they were unable to adequately separate it from mescaline in:

Ethyl acetate-Methanol-NH_4OH 17:2:1

or Chloroform-Methanol-NH_4OH (80:20:1)

or Chloroform-Acetone-NH_4OH (10:8:1)
or Chloroform-Ethanol-NH_4OH (15:20:1)

Pardanani *et al.* 1978 & 1977, on the other hand, claimed that Ethyl acetate-Methanol-58% Ammonium hydroxide (17:2:1) allowed separation of mescaline from 3,4-Dimethoxyphenethylamine via preparative tlc. (This was the only system that they used which was effective for them.)

An interesting point mentioned by Agurell 1969c could be applicable to the often noted problem of separating 3,4-Dimethoxyphenethylamine from mescaline when a species contains appreciable amounts of the former.

Agurell noted that they were forced to change from hydrochloric acid to acetic acid for acidification prior to defatting because they found DMPEA (and several other alkaloids, including pellotine) formed hydrochlorides which were highly soluble in chloroform.

If facing this problem (or if wanting to remove pellotine) and desiring only mescaline, then the use of hydrochloric acid and chloroform might be preferred choices.

Depending on what alkaloids are present, it also might be helpful to perform an extraction using an organic solvent to clean up the end product (salt) before final recrystallization. [Turner & Heyman extracted their resulting salt (sulfate) residue with benzene to clean it up prior to recrystallization. Their solvent may not separate the two alkaloids we are discussing. It is mentioned only as an example of procedural techniques that have been used to help clean up residues containing mescaline salts.]

In the case of 3,4-DMPEA, its hydrochloride salt could be similarly extracted from a mescaline-containing hydrochloride salt residue using chloroform.

This could also be accomplished by dissolving the alkaloid hydrochloride residue in a small amount of water and extracting with chloroform. Mescaline hydrochloride would remain in the aqueous fraction while DMPEA hydrochloride would migrate into the chloroform.

Shulgin & Shulgin 1991 note that DMPEA is soluble in ether. As mescaline is poorly soluble in ether, use of ether to extract either a basic solution or a final basic residue prior to salt formation would help remove DMPEA free base. [Similarly, Lophophorine free base would be extracted as it is soluble in ether.]

Synthesis: Kindler & Peschke 1932a

Biosynthesis: Lundström 1970

DMPEA has been reported from:

Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Mata & McLaughlin 1980b (0.025% [isol. as HCl] in dry plant) ir, ei-ms, tlc.
Pummangura & McLaughlin 1981a found but did not elaborate.
[Ferrigni *et al.* 1984 did not observe]

Carnegiea gigantea (engelmann) Britton & Rose
Bruhn *et al.* 1970 (trace) tlc
Bruhn & Lundström 1976b (Trace: "*less than*" 0.00145%) gc, gc-ms
[Concerning our math-work for **Bruhn & Lundström 1976b**: 15 kg of fresh cactus yielded 32 grams of alkaloids. 80% was nonphenolic and 20% was phenolic. When purifying these fractions they only used 1 gram of the nonphenolic and 0.5 grams of the phenolic fractions. The amounts listed in their account is what was obtained from these aliquots rather than totals. For all compounds except dopamine the yields were calculated, by kt, as if they had used all of their product and then recalculated them in terms of their free bases (Alkaloids were obtained as the hydrochloride salts in all cases except for Arizonine)]

Echinocereus blanckii (Pos.) Parm.
Wagner & Grevel 1982b (0.0065 % by fresh wt/ 0.114% by dry wt.; as HCl) tlc, ir, ms. [Cultivated in Munich Botanical Gardens, Germany]

Echinocereus merkerii Hildm.
Agurell *et al.* 1969 (no quantification) tlc, glc
McFarlane & Slaytor 1972b (no quantification) tlc, ir, nmr

Epithelantha micromeris (Engelmann) Weber
Štarha 1994 (0.0042% by fresh wt.) gc-ms
Štarha 1995b (0.440% by fresh wt. was listed) Note from Dr. Štarha, received Jan., 1999, indicated this to be a typo actually intending 0.004%.

Islaya minor Backeberg (T.)
Doetsch *et al.* 1980 (0.0038% dry wt) tlc of fluorescamine conjugate, hptlc, glc

Lophophora williamsii (Lemaire) Coulter
Lundström & Agurell 1968a (trace) glc, gc-ms
Lundström 1971a (trace) glc
[Also in Habermann 1978b (from Štarha *nd*)]

Mammillaria microcarpa Engelmann
Knox *et al.* 1983 (April harvest; Arizona: 0.0015% (± 0.0006) in chlorophyllous tubercles, 0.0035% (± 0.0027) in cortex tissue, 0.0007% (± 0.0002) in vascular tissue and 0.0008% (± 0.0004) in the root) HPLC.
[Knox & Clark 1986 found it to be present in only 64% of their samples when evaluating 129 individuals from 15 Arizona populations. The occurrences of particular alkaloids showed no clear associations with the geographical distribution.]

Melocactus maxonii (Rose) Gürke
Ma *et al.* 1986 tlc indicated it to be present at less than 0.01% by dry weight, but it was not detected by ms-ms.

Neoraimondia arequipensis var. ***roseiflora*** (Werd. & Backebg.) Rauh
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight.

Opuntia acanthocarpa Engelmann & Bigelow
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight

Opuntia echinocarpa Engelmann & Bigelow
Ma *et al.* 1986 tlc indicated it to be present at less than 0.01% by dry weight, ms-ms indicated its presence to be around 0.01% by dry weight

Opuntia exaltata Berger
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight

Opuntia imbricata Haworth
Meyer *et al.* 1980 (no quantification) tlc, ms

Opuntia ramosissima Engelmann
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight

Opuntia spinosior (Engelmann) Toumey
Pardanani *et al.* 1978 (trace) tlc, ci-ms, ei-ms
Opuntia whipplei Engelmann & Bigelow
Meyer *et al.* 1980 (no quantification) tlc
Pachycereus pecten-aboriginum (DC) Britton & Rose
Bruhn & Lindgren 1976 (trace) gc, gc-ms.
Pachycereus pringlei (S.Wats) Br. & R
Crockett & Shulgin 1999 (Personal communication; unpublished findings) ["*not yet rigidly proven*"] gc-ms
Pelecyphora aselliformis Ehrenberg
Neal *et al.* 1972 (trace) glc, ms, tlc.
Štarha 1994 (0.0002% by fresh wt.) gc-ms
Pereskia corrugata Cutak
Doetsch *et al.* 1980 (0.0009% dry wt) tlc of fluorescamine conjugate, hptlc, glc
Pereskia tampicana Weber
Doetsch *et al.* 1980 (no 0.0025% dry wt) tlc of fluorescamine conjugate, hptlc, glc
Pereskiopsis scandens Britton & Rose
Doetsch *et al.* 1980 (0.0029% dry wt) tlc of fluorescamine conjugate, hptlc, glc.
Pilocereus maxonii (Rose) Knuth
Pummangura *et al.* 1977 (trace) tlc, ms.
Polaskia chende (Gosselin) Gibson & Horak
Ma *et al.* 1986 tlc indicated it to be present at less than 0.01%/ ms-ms indicated its presence to be around 0.01% by dry wt.
Pseudolobivia kermesina Krainz
Follas *et al.* 1977 (trace). tlc, ms.
Pterocereus foetidus Th.MacDougall & F.Miranda
Ma *et al*. 1986 Not detected by tlc. ms-ms indicated its presence to be around 0.01% by dry weight
Pterocereus* (?) *gaumeri (Britton & Rose) Th.MacDougall & F.Miranda
[Given by MacDougall & Miranda as a provisional name.]
Ma *et al.* 1986 tlc indicated it to be present at less than 0.01%/ ms-ms indicated its presence to be around 0.01% by dry wt.
Stenocereus beneckei (Ehrenberg) Buxbaum
Ma *et al.* 1986 tlc indicated it to be present at less than 0.01%/ ms-ms indicated its presence to be around 0.01% by dry wt.
Stenocereus eruca (Brandegee) Gibson & Horak
Ma *et al.* 1986 tlc indicated it to be present at less than 0.01% by dry weight, but it was not detected by ms-ms.
Stenocereus stellatus (Pfeiffer) Riccobono
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight
Stenocereus treleasei (Britton & Rose) Backeberg
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight. [Identity tentative. May have been a variety of *S. stellatus*.]
Stetsonia coryne (Salm-Dyck) Britton & Rose
Agurell *et al.* 1971b (traces) tlc, glc
Trichocereus bridgesii (Salm-Dyck) Britton & Rose
Agurell 1969c (1-10% of over 50 mg total alkaloids/ 100 gm of fresh plant) ms
Trichocereus camarguensis Cardeñas
Agurell 1969c (trace) ms
Trichocereus courantii (K.Schumann) Backeberg
Agurell *et al.* 1971b (1-10% of 1-10 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc
Trichocereus macrogonus (SD.) Riccobono
Agurell 1969c (1-10% of 10-50 mg total alkaloids/ 100 gm of fresh plant) ms
Trichocereus pachanoi Britton & Rose
Agurell 1969c (1-10% of over 50 mg total alkaloids/ 100 gm of fresh plant) ms, ir
Agurell 1969b (2% of total alkaloid) gc-ms, ir
Trichocereus peruvianus Britton & Rose
Pardanani *et al.* 1977. (trace; unable to isolate) tlc, ms
Trichocereus taquimbalensis Card.
Agurell *et al.* 1971b (trace) tlc, glc
Trichocereus werdermannianus Backeberg
Agurell 1969c (1-10% of 10-50 mg total alkaloids/ 100 gm of fresh plant) ms, ir
Agurell 1969b (observed) gc-ms, ir

LEGUMINOSAE

Acacia rigidula Bentham
Clement *et al*. 1998 (1.3 ppm early Spring/ 6.5 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Desmodium tiliaefolium (G.Don)
Ghosal & Srivastava 1973b. (14 mg from 2.3 kg of roots) mp, tlc, uv, ms

Reported occurrences in human body fluids: see Davis 1989

3,4-Dimethoxy-beta-hydroxyphenethylamine

Bisnormacromerine;
3,4,-Dimethoxyphenylethanolamine;
DME

HCl:
Pale yellow crystals.
mp. 170-172ºC
Shulgin & Shulgin 1991
mp 170-171º Keller & Ferguson 1977

Suggested by Harley-Mason as a possible endogenous psychoactive chemical formed in psychiatric patients due to a disordered metabolism of noradrenaline. This lacks corroboration from animal studies.

Vogel and coworkers gave the hydrochloride to rats at 100 mg/kg ip. They found it produced marked behavioral changes in 3 to 5 minutes lasting for around 1 to 2 hours.

The changes were described as piloerection, ataxia, a marked decrease in motor activity, and a flaccidity of the body that resembled sedation. Some of their animals showed a loss of the clinging reflex that lasted 35-40 minutes. There was a trivial decrease in conditioned avoidance response despite the brain concentration being higher than was known to occur with hallucinogenic agents. They concluded that the compound was either not psychoactive or was less so than the known hallucinogenic agents.

Evaluated orally up to the 115 mg. level. (in Humans)
Inactive. Mild nausea and possible enhanced alertness.
Shulgin & Shulgin 1991

Showed no inhibition of the deamination of Tyramine and Tryptamine by rat brain MAO. Keller & Ferguson 1977

Vogel *et al.* 1973 found it to be rapidly absorbed from the peritoneal cavity, showing a half life of 30-60 minutes in plasma and liver but to remain in the brain unchanged for the first hour. It did not cross the blood brain barrier as readily as macromerine did.

Vogel *et al.* 1973 used a spectrophotofluorimeter to assay it in a 0.1 N HCl solution. They read the fluorescence at 330 mμ after activation at 283 mμ.

Synthetic route: Keller & Ferguson 1977

3,4-Dimethoxy-β-hydroxyphenethylamine has not yet been reported from plants.

3,4-Dimethoxy-N-methylphenethylamine

N-Methyl-3,4-dimethoxyphenethylamine;
Epinine dimethyl ether; N-Methyl-DMPEA.

Free base:
bp 159º at 11 mm Kindler & Peschke 1932a

Hydrochloride
mp 132-134º Keller & Ferguson 1977
mp 134-136º Agurell *et al.* 1969
mp 134-135º Bruhn & Sánchez-Mejorada 1977
mp 134-137º (lit. 136-137º) Lindgren & Bruhn 1976
mp 134-137º Bruhn & Agurell 1974
mp 135-137º Pummangura *et al.* 1977
mp 135-136º Ranieri *et al.* 1976
mp 135-137º (after recrystallization 137-138º; synthetic 135-137º) Speir *et al.* 1970
mp 136-137º Agurell 1969a
mp 139-140º (Synthetic 139-140º) Neal & McLaughlin 1970
mp 140-142º Ranieri & McLaughlin 1977

Said to have been reported to have a slight activity in depletion of cardiac norepinephrine.
Norquist & McLaughlin 1970 citing Heffter 1894a

MAO inhibition reported: Found to show some inhibition of the deamination of Tyramine and Tryptamine by rat brain MAO. Keller & Ferguson 1977

UV λ_{max} 206 mμ (ε 38,000), 230 mμ (ε14,600) and 280 mμ (ε4,100). Speir *et al.* 1970
Ci ms-ms spectrum: Ferrigni *et al.* 1984

Eluted from Merck aluminum oxide column (Act. II-III acc. to Brockman) with chloroform-methanol (4:1)
Preparative tlc on silica gel GF plates in chloroform-ethanol-conc. Ammonium hydroxide (80:20:0.4)
Bruhn & Sánchez-Mejorada 1977

Chromophores with tlc spray reagents:
Brilliant yellow chromophore under UV with Dansyl-chloride.
Neal *et al.* 1972
Dark purple with fluorescamine, White when oversprayed with tetrazotized benzidine. Ranieri *et al.* 1976 Same was noted by Pummangura *et al.* 1977

Would probably also form yellow chromophore under UV with Dansyl-Chloride if oversprayed after fluorescamine.
Fluoresced when sprayed with Dansyl-Cl;
fading yellow when oversprayed with tetrazotized benzidine.
Wagner's and Iodoplatinate gave positive reactions.
Speir *et al.* 1970

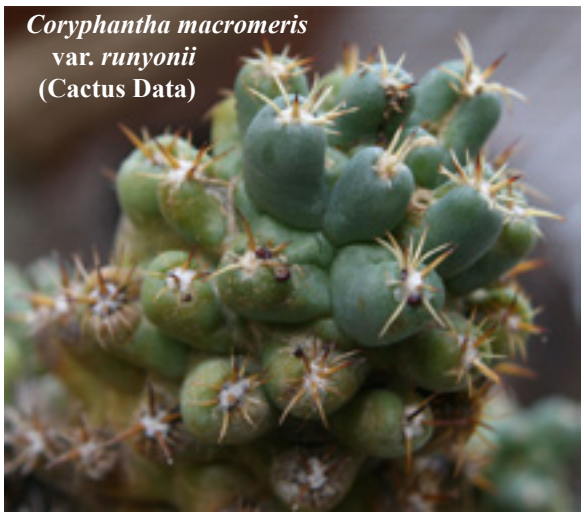
Unable to adequately separate from N-Methylmescaline in:
Ethyl acetate-Methanol-NH_4OH 17:2:1

or Chloroform-Methanol-NH_4OH (80:20:1)
or Chloroform-Acetone-NH_4OH (10:8:1)
or Chloroform-Ethanol-NH_4OH (15:20:1)
Neal *et al.* 1972

Synthesis:
Kindler & Peschke 1932a
Speir *et al.* 1970
Synthesis from DMPEA in Keller & Ferguson 1977

First observed as a natural product by Agurell 1969a in ***Coryphantha macromeris*** var. ***runyonii*** (as *Lepidocoryphantha runyonii*)

N-Methyl-3,4-dimethoxyphenethylamine reported from:
Cactaceae
Ariocarpus agavioides (Castañeda) E.F.Anderson
Bruhn & Bruhn 1973. (trace) tlc, gc, glc-ms.
Ariocarpus fissuratus var. ***fissuratus*** (Engelmann) K. Schumann
Norquist & McLaughlin 1970 (Major alkaloid. Present at 0.004% by dry weight.) tlc, mp, mmp, ir.
Ariocarpus retusus Scheidweiller
Neal & McLaughlin 1970 (0.00047% by dry weight) tlc, mp, mmp, ir
Ariocarpus scapharostrus Bödeker
Bruhn 1975b (no quantification) gc, gc-ms
Ariocarpus trigonus (Weber) K.Schumann.
Speir *et al.* 1970 (0.007% by dry weight) tlc, synthesis, uv, ir, nmr, mp, mmp.
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (Detected: No quantification) tlc, ms-ms.
Coryphantha bumamma (Ehrenberg) Britton & Rose
Bruhn *et al.* 1975 (trace) tlc, gc, gc-ms.
Coryphantha calipensis H.Bravo
Bruhn & Agurell 1974 (small amount) tlc, glc, ir, mp.
Bruhn *et al.* 1975 (trace) tlc, gc, gc-ms.
Coryphantha cornifera (DeCandolle) Lemaire
Horneman *et al.* 1972 (no quantification) tlc, gc
Coryphantha cornifera var. ***echinus*** (Engelmann) L.Benson
Horneman *et al.* 1972 (0.0007% by dry weight) tlc, gc
Coryphantha durangensis (Rünge) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc, gc
Coryphantha elephantidens Lemaire
Horneman *et al.* 1972 (no quantification) tlc, gc
Coryphantha greenwoodii
Bruhn *et al.* 1975 (1-10% of over 50 mg of total alkaloids/ 100 grams fresh.) tlc, gc, gc-ms.
Ranieri *et al.* 1976 (0.0095% by dry weight) tlc, mp, mmp, ir.
Coryphantha macromeris var. ***runyonii*** L.Benson (as ***Lepidocoryphantha runyonii***)
Agurell 1969c (trace) ms
Agurell 1969a glc, glc-ms, ms
Keller *et al.* 1973 (0.0006% fresh wt) tlc, mp, ir, nmr
Coryphantha missouriensis (Sweet) Britton & Rose
Pummangura *et al.* 1981 (trace) ci-ms, tlc.
Coryphantha pectinata (Engelmann) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc, gc
Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 (0.007% by dry weight) tlc, mp, mmp, ir, ms
Echinocereus cinerascens (DeCandolle) Rümpler
Bruhn & Sánchez-Mejorada 1977 (0.0002%; $1.95x10^{-4}$ % by fresh wt.) gc-ms, mp, tlc, gc, ir, ms
Echinocereus merkerii **Hildm.**
Agurell *et al.* 1969 (no quantification) tlc, glc, ms
Epithelantha micromeris
Starha 1994 (0.0010% by fresh wt.) gc-ms
Starha 1995b (Less than 0.001% fresh wt. was isolated)
Lophophora diffusa var. ***koehresii*** Říha
Starha & Kuchyna 1996 (0.01% [± 0.01] of the total alkaloid content) (Total alkaloid concentration not included) gc, gc-ms
Lophophora **sp.** var. Vieska, Mex.
Starha & Kuchyna 1996 (0.04% [± 0.01] of the total alkaloid content) (Total alkaloid concentration not included) gc, gc-ms
Lophophora williamsii (Lemaire) Coulter
Lundström 1971a (trace) glc
Mammillaria heyderi Muehlenpfordt
Bruhn & Bruhn 1973. (Over 50% of the 10-50 mg of total


Coryphantha macromeris **var. *runyonii* (Cactus Data)**

alkaloid/ 100 grams of fresh plant.) tlc, gc, ms. [Mentions that one other member of the *M. heyderi* complex (*M. meiacantha*) had tested positive for alkaloids (by others) and was determined (gc) to have one main alkaloid present which was not identified.]
(Also mentioned in Bruhn 1973)

Pelecyphora aselliformis Ehrenberg
Neal *et al.* 1972 (trace) glc, ms, tlc

Pilocereus chrysacanthus (Web.) Byles & Rowley
Bruhn & Sánchez-Mejorada 1977 (Major alkaloid. 0.006% fresh wt.: 179.55 mg from 3 kg) gc-ms, mp, tlc, gc, ir, ms

Pilocereus guerreronis (Backbg.) Byles & Rowley
Lindgren & Bruhn 1976 (Approx. 0.042% (~60% of 0.07% total alkaloid) by fresh wt. Recovered 0.012% as pure compound.) gc-ms, ir, tlc.

Pilocereus maxonii (Rose) Knuth
Pummangura *et al.* 1977 (trace) mp, mmp, tlc, ir, ms.

Turbinicarpus alonsoi Glass & Arias
Štarha *et al.* 1999b (0.0020 ± 0.0005% dry wt.) gc-ms

Leguminosae

Acacia rigidula Bentham
Clement *et al*. 1998 (7.6 ppm early Spring/ 28.3 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

(–)-Normacromerine

N-Methyl-3,4-dimethoxy-β-hydroxyphenethylamine; β-Hydroxy-3,4-dimethoxy-N-methylphenethylamine; β-Hydroxy-N-methyl-3,4-dimethoxyphenethylamine; NMC.

CA Reg. No.: 411136-36-1

Free base:
mp 101-103º Keller *et al.* 1972

Hydrochloride:
mp 115-116º Keller & Ferguson 1977
mp 115-117º Keller & McLaughlin 1972 from Keller & Ferguson 1977

Needle shaped slightly yellowish crystals mp 127-128º Ranieri *et al.* 1976
mp 128-129º (Yellow crystals) Ranieri & McLaughlin 1977
mp 130-131º (Brown needles from Ethanol-Ether) Ranieri & McLaughlin 1976
mp 131-132º Bruhn & Agurell 1974, also Keller *et al.* 1978
mp 132-133º Keller *et al.* 1972

$[\alpha]^{25}_{D}$ –60.6º Ranieri & McLaughlin 1976
$[\alpha]^{25}_{D}$ –54.7º Ranieri & McLaughlin 1977
$[\alpha]^{25}_{D}$ –51.5º (c, 0.01 gm/ml in absolute ethanol) Bruhn & Agurell 1974
$[\alpha]^{24}_{D}$ –38.4º (c 0.41, $CHCl_3$) Ghosal & Srivastava 1973b

Dark purple with fluorescamine (under UV), White when oversprayed with tetrazotized benzidine. Ranieri *et al.* 1976

Preparative tlc in Ether-Methanol-58% NH_4OH (17:2:1) on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.27 Ranieri & McLaughlin 1976
Rf 0.24 Ranieri & McLaughlin 1977

UV and MS: Ghosal & Srivastava 1973b

Isolation and structural elucidation of (–)-Normacromerine. Keller *et al.* 1972

Biosynthesis study:
Keller *et al.* 1978 [Found epinephrine was preferred over norepinephrine. 4.09% vs. 1.99% incorporation of radiolabeled material observed. Tyrosine was earlier found to be incorporated at 2.18% by Keller *et al.* 1973.]

Synthetic route: Keller & Ferguson 1977

Most abundant alkaloid in *Coryphantha macromeris* var. *runyonii* by Keller *et al.* 1973.

[Below *et al.* 1968 had reported Macromerine as the major alkaloid in this species, at 0.07% dry weight.]

Keller *et al.* 1973 found normacromerine to be present in higher amounts than macromerine. They were unable to clarify the exact synthetic sequence but did determine that while potential demethylation of macromerine to form normacromerine might occur it was highly unlikely that normacromerine served as a precursor for macromerine.

It has been said that seasonal fluctuations between N-methylated and N-demethylated alkaloids were reported to occur in *Coryphantha macromeris* similar to those seen in peyote but we have been unable to find any such report. We have found conflicting reports of what alkaloids were determined to be present (as just mentioned) but none provide enough information to support this conclusion nor did they study such fluctuations. The observations above from Keller and co-workers' studies of normacromerine and macromerine biosynthesis, in the related var. *runyonii*, tend to cast doubts on the assumption. [As does β-hydroxy-3,4-dimethoxyphenethylamine being unreported from any natural source (as far as we can determine).]

ALL reports of Normacromerine *in C. macromeris* cited references that actually analyzed *C. runyonii* (*C. macromeris* var. *runyonii*). It could be present as one of the undentified minor bases but so far no one has reported that in an analysis.

Showed no inhibition of the deamination of Tyramine and Tryptamine by rat brain MAO. Keller & Ferguson 1977

Suggested to have no hallucinogenic properties by conditioned avoidance studies of Vogel *et al.* 1973.-(Vogel gave Normacromerine HCl to rats at 100 mg/kg ip. While trivially active in this regard, Normacromerine was found to disrupt conditioned behavior more than Macromerine.)

However, based on their studies in animals, Bourn *et al.* concluded it was hallucinogenic.

Bourn further commented that mescaline itself had been reported to have no effects on conditioned avoidance (citing Cook & Weidley) or at lower doses to actually increase avoidance responses (citing Jarrard 1963)

This was also found to be true of mescaline when used in their study but they found normacromerine to impair avoidance response without diminishing motor control.

They also mention that *Coryphantha macromeris* is considered to be one fifth the potency of peyote (counterculture information; see comments under macromerine concerning this **erroneous** claim). [I have found **no** references indicating that normacromerine occurs in *Coryphantha macromeris*.] Bourn *et al.* 1978

Points casting doubt on the suggested activity of normacromerine:

1. N-methylation is reported (Smythies *et al.* 1970) to abolish hallucinogenic activity from hallucinogenic phenethylamines (even on STP) &
2. β-OH-3,4-DMPEA (up to 115 mg oral), N-Me-mescaline (up to 25 mg oral), N,N-diMe-mescaline (up to 500 mg) and β-OH-mescaline (animals only) have ALL been found to be inactive as hallucinogens. *See* references under their individual entries.

However, the conjecture by Shulgin (personal communication) concerning potential interactions of this alkaloid with known MAOI Coryphantha alkaloids needs evaluation and study.

After administration of up to 100 mg/kg ip in rats:

No marked behavioral changes were noticed but some rats showed a reduction of exploratory behavior and reduced motility.

Prior administration of the MAOI Iproniazid increased these effects and additionally caused piloerection and acute respiratory distress. Vogel *et al.* 1973.

Vogel *et al.* 1973 found it to be rapidly absorbed from the peritoneal cavity, showing a half life of 30-60 minutes in plasma and liver but to remain in the brain unchanged for the first hour. It did not cross the blood brain barrier as readily as macromerine did.

Vogel *et al.* 1973 used a spectrophotofluorimeter to assay it in a 0.1 N HCl solution. They read fluorescence at 330 mμ after activation at 270 mμ.

Normacromerine has been reported from:
Coryphantha calipensis H.Bravo
Bruhn & Agurell 1974 (0.005% dry wt., i.e. 135 mg from 2.56 kg of fresh plants.) tlc, glc, mp, mmp, ir.
Bruhn *et al.* 1975 (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms.
Coryphantha greenwoodii H.Bravo
Bruhn *et al.* 1975 (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms.
Ranieri *et al.* 1976 (0.043% by dry weight) tlc, mp, mmp, ir, ord, pmr, ms. (Wild plants)
Coryphantha macromeris var. ***runyonii*** L.Benson
Keller & McLaughlin 1972 (0.19% by dry weight) tlc, nmr, uv
Keller *et al.* 1973 (Major alkaloid. 0.0710% fresh wt.) tlc, mp, ir, nmr
Keller *et al.* 1978 (In two separate assays; 305 and 310 mg were recovered from a three cacti sample size. Weight not given. Recovered as hydrochloride.) co-tlc
Conflicting assays exist for the species; see under Macromerine.
Coryphantha runyonii see as ***Coryphantha macromeris*** var. ***runyonii***
Dolichothele longimamma (DeCandolle) Britton & Rose
Ranieri & McLaughlin 1976 (0.012% by dry weight) mp, mmp, tlc, uv, ms, ir, nmr. Determined to be (–) form. [Reported in Ranieri & McLaughlin 1975b]
Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 (0.068% by dry weight) tlc, mp, mmp, uv, ir, ms

Leguminosae
Desmodium tiliaefolium (G.Don)
Ghosal & Srivastava 1973b. mp. tlc, uv, ms (trace alkaloid in roots; 28 mg from 2.3 kg dry weight) (Thought by Ghosal & Srivastava to be the first reported occurrence of this compound.)

beta-Methoxy-3,4-dimethoxy-N-methylphenethylamine

(–)Calipamine; (–)-β-O-Methylnormacromerine; N-Methyl-3,4-dimethoxy-β-methoxyphenethylamine; N-Methyl-β,3,4-trimethoxyphenethylamine.

Hydrochloride:
mp 206-208º (lit. 213-214º) Ranieri *et al.* 1976
mp 213-214º Bruhn & Agurell 1974

Dark purple with fluorescamine (under UV), White when oversprayed with tetrazotized benzidine. Ranieri *et al.* 1976

$[\alpha]^{25}_{D}$= –91.7º: c 0.010 gm/ml in absolute ethanol. Bruhn & Agurell 1974

Configuration: Woodard *et al.* 1978

First isolated from *Coryphantha calipensis* (major alkaloid) by Bruhn & Agurell 1974

β-Methoxy-3,4-dimethoxy-N-methylphenethylamine has been reported from:
Coryphantha calipensis H.Bravo
Bruhn & Agurell 1974 (210 mg from 2.56 kg of fresh plants.) tlc, glc, nmr, ms.
Bruhn *et al.* 1975 (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms.
Woodard *et al.* 1978: Determined to be (–)
Coryphantha greenwoodii H.Bravo
Bruhn *et al.* 1975 (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh wild plant) tlc, gc, gc-ms.
Ranieri *et al.* 1976 (As (–)-form: 0.034% by dry weight) tlc, mp, mmp, ir, ord, pmr, ms. (wild plants)

N-Acetyl DMPEA

N-Acetyl-3,4-dimethoxyphenylethylamine; 3,4-Dimethoxy-N-acetylphenylethylamine; NADMPEA.

WLN: 1VM2R CO1 DO1
Hayward: 6R(CCNHCVM)RRQRQRR

$C_{12}H_{17}NO_3$

Not hallucinogenic at 16 mg/kg [Johnson *et al.* 1970]

Usdin & Efron 1979 #1092

It was reported in the Cactaceae in
Browningia candelaris (Meyen) Britton & Rose
0.058% dry weight in aerial parts.
Echeverría & Neimeyer 2012

3,4-Dimethoxy-N,N-dimethylphenethylamine

N,N-Dimethyl-3,4-dimethoxyphenethylamine;
N,N-Dimethyl-DMPEA.

Free base:
Soluble EtOH and also $CHCl_3$. Bruhn & Sánchez-Mejorada 1977

Hydrochloride
mp 192-194º microneedles ($CHCl_3$) Ghosal & Srivastava 1973b
mp 193-196º Agurell *et al.* 1969
mp 193-194º Keller & Ferguson 1977
mp 193-195º Lindgren & Bruhn 1976.
mp 193-197º Lindgren & Bruhn 1976
mp 194-196º Agurell 1969c
Eluted from Merck Aluminum oxide (Act. II-III acc. to Brockman.) with Chloroform-Benzene (1:2)
Bruhn & Sánchez-Mejorada 1977

Orange with Dragendorff, Negative with Ehrlich's and Yellow with TDA. Ghosal & Srivastava 1973b.

NMR, UV and MS: Ghosal & Srivastava 1973b.

Synthetic route: Keller & Ferguson 1977

Found to show some inhibition of the deamination of Tyramine and Tryptamine by rat brain MAO. Keller & Ferguson 1977

3,4-Dimethoxy-N,N-DMPEA reported from the Cactaceae:
Ariocarpus scapharostrus Bödeker
Bruhn 1975b (no quantification) gc, gc-ms
Aztekium ritteri Böd.
Šťarha 1994 (0.0036% by fresh wt.) gc-ms
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (trace)
Pummangura & McLaughlin 1981a [*See* also pp. 498-499] (0.0588%)
Browningia candelaris (Meyen) Britton & Rose
0.0245% dry weight in aerial parts.
Echeverría & Neimeyer 2012
Coryphantha calipensis H.Bravo has been listed in an alkaloid occurrence summary. One of the references given, Bruhn & Agurell 1974, did not report this alkaloid. The other, Bruhn 1975a, is presently unavailable to us.]
Coryphantha greenwoodii H.Bravo
Bruhn *et al.* 1975 (trace) tlc, gc, gc-ms.
Echinocereus cinerascens (DeCandolle) Rümpler
Bruhn & Sánchez-Mejorada 1977 (0.01% by fresh wt.: 292 mg from 4.1 kg fresh) gc-ms, mp, tlc, gc, ir, ms
Echinocereus merkerii Hildm.
Agurell *et al.* 1969 (no quantification) tlc, glc, ms
Pilocereus guerreronis (Backeberg) Byles & Rowley
Lindgren & Bruhn 1976 (Approx. 0.025% (~35% of 0.07% total alkaloid) by fresh wt. Recovered 0.0044% as pure compound.) gc-ms, ir, tlc.

Leguminosae.
Desmodium tiliaefolium (G. Don)
Ghosal & Srivastava 1973b. (trace alkaloid in roots. 41 mg from 2.3 kg dry weight.) tlc, mp, uv, ms, nmr

Macromerine

β-Hydroxy-N,N-dimethyl-DMPEA; 3,4-Dimethoxy-N,N-dimethyl-β-hydroxyphenethylamine; 3,4-Dimethoxy-α-[(dimethylamino)methyl]benzylalcohol; Dimethylaminomethyl-3,4-dimethoxyphenyl-carbinol; α-[(Dimethylamino)methyl]-3,4-dimethoxybenzenemethanol; α-[(Dimethylamino)methyl]veratryl alcohol.

WLN: 1OR BO1 DYQ1N1&1
Hayward: 6R(CQCNM2)RR(OM)R(OM)RR
#1142 in Usdin & Efron 1979

$C_{12}H_{19}NO_3$
MW 225.28

Calculated (%): C, 47.8; H, 4.8; N, 12.3
Found (%): C, 48.1; H, 4.9; N, 13.0
Chapman *et al.* 1965

Free base:
mp 63-65º (crude) mp 66-67.5º (recrystallized) Brown *et al.* 1972a
mp 65-65.5º Below *et al.* 1968
mp 66-67.5º Hodgekins *et al.* 1967
bp 147-150º at 1.3mm. Chapman *et al.* 1965

Hydrochloride (racemate) mp 161-162o Keller & Ferguson 1977 [Keller reported the same in his 1972 PhD dissertation; Univ. of Wash, Seattle]

Picrate
mp 157º Chapman *et al.* 1965
mp 159º Below *et al.* 1968

Free base:
dl-form: Crystals mp 46-47º
l-form: Crystals mp 66-67.5º
$[\alpha]^{25}_{D}$ –147.01º (c= 0.0390 g/ml chloroform), -42.61º (c= 0.0200 g/ml absolute alcohol)
{$[\alpha]^{26}_{D}$= –54.2; c= 0.0120 gm/ml in methanol. according to Below *et al.* 1968}
d-form: Crystals mp 60-62º
dl-form hydrochloride: Crystals from ethanol mp 178-179º $[\alpha]^{18}_{D}$ –41.3º (c= 2.04 in 50% ethanol.)
d-form hydrochloride: Crystals from ethanol mp 178-179º. $[\alpha]^{18}_{D}$ +51.5º (c= 2.2 in 50% ethanol.)
Synthesis of *dl*-form:
LaManna *et al.* 1960
and Chapman *et al.* 1965
and Hodgkins *et al.* 1967.
Synthesis of *d*-form and *l*-forms:

LaManna *et al.* 1969 also data above

dl and *l*-forms are physiologically active and caused hallucinogenic reactions in animals. (Hodgkins *et al.* above) [Extreme caution must be used with such conclusions.]

#5466 in Merck Index Ninth Edition

First identified in *Coryphantha macromeris* (AKA Doña Ana) by Hodgkins *et al.* 1967. [No percentage was given.] [Hodgkins *et al.* 1967 was Hodgkins, Brown and Massingill.]

Macromerine was said to be the principle alkaloid in *Coryphantha macromeris* by Brown *et al.* 1968. (Their material was said to have been collected in November in Big Bend.) Its identity was confirmed by synthesis of the optically active alkaloid. [Brown *et al.* 1968 was Brown, Massingill and Hodgkins.]

Brown *et al.* 1972a reported this work in more detail. They had isolated 0.16% of macromerine from dried material obtained as wild collected plants from Big Bend. [Brown *et al.* 1972a was Brown, Hodgkins and Reineke] They stated it to be the major alkaloid.

[A side note: the *Coryphantha macromeris* which occurs in Big Bend is much smaller than many of those which occur in New Mexico. The plant we describe as being bioassayed in a human farther below was a larger New Mexico form. Most of one plant weighed well over 500 grams.]

Below *et al.* 1968 had found Macromerine to be the major alkaloid in *Coryphantha macromeris* var. *runyonii*. [This is contradicted by others, see under normacromerine.]

[Var. *runyonii* has a similarly fleshy body but much smaller spines, as contrasted to the long spines of *C. macromeris*. They are unlikely to be confused with each other and are considered by many to be separate species.]

Thought to be hallucinogenic in squirrel monkeys and cats at 20 mg. / kg/ip by Hodgkins *et al.* 1967.

Counterculture 'new age' churches have been established declaring *Coryphantha macromeris* as their sacrament. The literature we have seen suggests that they might be less than informed. We have been unable to locate even a single person who has actually tried it. The trust that professional workers seem to hold for the validity of the publications of "Mary Jane Superweed" is baffling.

The plant is rumored to be one fifth as strong as the peyote cactus. [This could be suspected as being due to the comparative mg/kg figures in the literature?] This would place an effective dose in excess of two pounds of plant material; ***if*** thought of in terms of weight for weight equivalencies of cacti.

This is likely to be **in error** as it must be remembered that, while macromerine has been reported to be one-fifth the potency of mescaline, the reported percentage of occurrence is around one tenth that normally encountered in peyote for mescaline.

This implies that, IF it were active as a hallucinogen (a notion that presently remains unproven), **50 times** more plant material would be required, not 5.

So far, it has not been possible to find any other person who has sampled this plant (other than the author). Surely they exist? Mescaline is suggested as a preferable alternative, especially as current law potentially considers macromerine to be a controlled substance thanks to the modern blanket of illegality.

The only known published bioassay involved around half a pound (nearly half of one large and very old plant) harvested while frozen in mid-winter. Nausea was pronounced and lengthy (far worse on both counts than with peyote), there was a distinct pharmacological action but it was insufficient to enable us to have a hallucinogenic experience.

There were persistent side effects such as a weird feeling of unreality and a strange shiny plastic appearance to objects which lasted for several weeks after ingestion.

It was found to be more weird than anything else with an underlying sense of borderline irritability that was reminscent of ephedrine or Catha edulis leaf.

While it is clearly in need of further evaluation, there was no plans or desire to evaluate it at a higher level. [Recalling that J.R. Briggs felt similarly after sampling a partial peyote button.]

The lengthy after effects cause me to have empathy for the Tarahumara's assertion that permanent insanity could result from the use of *Coryphantha* species by people who weren't prepared. While thinking it unlikely, the possibility of prolonged effects or after effects before hand, had been considered due to the warnings, and so justified concern did not turn into worries. If a person experienced this and was not prepared, or was unstable to begin with, the duration and weirdness of the side-effects could potentially cause them some problems.

It must be mention that if this is indeed a hallucinogenic alkaloid, or if normacromerine is, they would be the **ONLY** N-methylated phenethylamines known to be hallucinogenic.

N-methylation normally destroys hallucinogenic activity, doing so **even on DOM** (STP). If any activity remains it is generally that of an amphetamine type stimulant.

β-OH-3,4-DMPEA (up to 115 mg oral), N-Me-mescaline (up to 25 mg oral), N,N-diMe-mescaline (up to 500 mg) and β-OH-mescaline (animals only) have ALL been found to be inactive as hallucinogens. (See their entries within this work for references.)

As was noted under normacromerine, the conjecture by Shulgin (personal communication) concerning potential interactions of this alkaloid with known MAOI *Coryphantha* alkaloids needs some study.

Showed no inhibition of the deamination of Tyramine and Tryptamine by rat brain MAO. Keller & Ferguson 1977

Hodgkins *et al.* 1967 also reported"*"Anti" adrenaline result in the turtle heart*"

Vogel *et al.* 1973 found it to be rapidly absorbed from the peritoneal cavity, showing a half life of 30-60 minutes in plasma and liver but remaining unchanged in the brain for the first hour. It crossed the blood brain barrier more readily than normacromerine or bismacromerine did. Brain levels were much higher than was observed for Normacromerine.

No marked behavioral changes were noticed at 100 mg/ kg of the hydrochloride but the rats showed a general decrease in locomotor activity and slight piloerection. Some animals were noted as irritable during handling.

Effects lasted around one hour with a 5 minute onset after ip injection

Vogel *et al.* 1973 used a spectrophotofluorometer to assay it in a 0.1 N HCl solution. They read the fluorescence at 330 mμ after activation at 275 mμ.

Isolation, structure, synthesis and absolute configuration of (R)-macromerine Brown *et al.* 1972a

Synthetic route: Keller & Ferguson 1977

UV λmax: 202, 230, 278 mμ Speir *et al.* 1970

After extracting the plant, macromerine was isolated using Activity grade IV, neutral Woelm alumina, eluting with Ether-Petroleum ether 1:1.
Brown *et al.* 1972a

A marked fluctuation between macromerine and its N-demethylated derivatives (N-demethylated highest during autumn and fall) was claimed to occur in *Coryphantha* (as *Lepidocoryphantha*) *macromeris*. This is according to Lundström 1971b who noted similar fluctuations in peyote and said they also were observed in *Carnegiea gigantea*.

We have found conflicting reports on the alkaloids content & composition of both *Coryphantha macromeris* and *Carnegiea gigantea* but none that studied or even mentioned seasonal fluctuations.

Nor have we been able to find anyone who has correlated this data with seasons of sampling.

The comments in the literature suggesting this might be the case for *C. gigantea* appear to be only unevaluated conjecture attempting a possible explaination for the disparate reports. While it is a logical guess there are many other alternative scenarios that are just as likely; all of which similarly need an evaluation.

Dr. Lundström may have access to information that we do not but he did not provide any additional information.

It should be noted that it was determined in biosynthetic studies (mentioned under normacromerine) that normacromerine is either not incorporated or, at best, is very poorly incorporated into macromerine casting some doubts on whether such seasonal interconversions **could** occur cyclically.

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Macromerine has been reported from:

Coryphantha aliquensis H.Bravo has been listed for this compound. The reference given, Brijhn 1975a, is presently unavailable to us so details are lacking.

Coryphantha cornifera (DeCandolle) Lemaire has been listed **in error**. The reference cited, Horneman *et al.* 1972, did not report this

Agurell 1969c (major alkaloid- over 50% of over 50 mg total alkaloids/ 100 gm of fresh plant. Only traces of other 4 alkaloids present) mp, ms

Below *et al.* 1968 (Major alkaloid. 0.07% in dried material) tlc, mp, uv, ir, nmr

Keller *et al.* 1973 (Found 0.0021fresh wt.) tlc, mp, ir, nmr

Coryphantha pectinata (Engelmann) Britton & Rose
Horneman *et al.* 1972 (no quantification) tlc, gc

[Ed. The literature lists this alkaloid as being reported from other species but their specified references do not support the assertions.]

N-Formylnormacromerine

Reported from ***Coryphantha macromeris* var. *runyonii*** L.Benson
Keller *et al.* 1973 (0.0077% fresh) tlc, nmr, ir
Keller & McLaughlin 1972 (0.19% dry wt.)

Coryphantha macromeris* var. *runyonii
(Cactus Data)

beta-Methoxy-3,4-dimethoxy-N,N-dimethylphenethylamine

(–)-β-O-Methylmacromerine;
β-Methoxy-3,4-dimethoxy-N,N-dimethylphenethylamine;
(–)-N,N-Dimethyl-3,4-dimethoxy-β-methoxyphenethylamine;
N,N-Dimethyl-β,3,4-trimethoxyphenethylamine.

Hydrochloride:
mp 178º (recrystallized from absolute ethanol-ether) Bruhn & Agurell 1974

$[\alpha]^{25}_{D}$: –93.7; c 0.010 gm/ml in absolute ethanol. Bruhn & Agurell 1974

β-Methoxy-3,4-dimethoxy-N,N-dimethylphenethylamine reported from:
Coryphantha calipensis H.Bravo
Bruhn & Agurell 1974 (40 mg from 2.56 kg of fresh plants) tlc, glc, ms, nmr.
Bruhn *et al.* 1975b (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms. [Wild collected; Puebla, Mexico]
Coryphantha greenwoodii H.Bravo
Bruhn *et al.* 1975 (10-50% of over 50 mg of total alkaloids/ 100 grams of fresh plant.) tlc, gc, gc-ms.

3,4-Dimethoxy-N-formyl-β-hydroxy-N-methyl-phenethylamine

Reported to occur in *Coryphantha greenwoodii* H.Bravo Shulgin & Shulgin 1997

3,4-Methylenedioxy-N-N-dimethyl-phenethylamine

Reported only from:
Trichocereus lobivioides ("Variety C"; 1 of 8 ill-defined ones being evaluated)
Shulgin nd (% not given. Information from e-mail dated 8 August 1999

3-Nitrotyramine

4-Hydroxy-3-nitrophenethylamine;
3-Nitro-4-hydroxyphenethylamine.

MW 200.19 Neme *et al.* 1977

C, 47.99; H, 6.04; N, 13.99 (Calc.)
C, 47.86; H, 6.01; N, 13.90 (Exp.)

Free base:
chromatographic isolation yielded a yellow material; recrystallization gave orange yellow crystals.
mp 205-206º
Ethanol soluble.
Neme *et al.* 1977

HCl:
mp 214-215º (isolated)/ 213-214º (synthetic) (Both from Ethanol) Neme *et al.* 1977
mp 214.5º Waser & Sommer 1923
Methanol soluble.
Neme *et al.* 1977

Nitrate:
mp 215-216º (isolated) (from Methanol) Neme *et al.* 1977
mp 217º Waser & Sommer 1923

UV, IR, PMR, MS & Synthesis from Tyramine. Neme *et al.* 1977

Isolated from:
Cereus validus Haworth (extracted fresh plant material)
Neme *et al.* 1977 (0.19% dry wt.) tlc, uv, ir, pmr, ms. [Wild collected; Argentina]
Nieto *et al.* 1982 (0.19% dry wt. in branches)

3,4,5-Trihydroxyphenethylamine

This has apparently been reported from the Leguminosae
Acacia rigidula Bentham
1.6 ppm early Spring/ 12.4 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems
Similarly:
N-Methyl-3,4,5-trihydroxyphenethylamine
Acacia rigidula Bentham
0.3 ppm early Spring/ 1.9 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems
Clement *et al*. 1998 (gc-ms) (This account is questionable.)

See more about this compound in Tranzer & Thoenen 1967.

3,4-Dihydroxy-5-methoxyphenethylamine

3-Methoxy-4,5-dihydroxyphenethylamine (used by Dyumaev & Belostotskaya 1962 but this is not the preferred way to number this.)

$C_9H_{13}NO_3$

Free base:
mp 173-174º Dyumaev & Belostotskaya 1962

Hydrochloride
mp 198-199º Dyumaev & Belostotskaya 1962
mp 206-207º Benington *et al.* 1955 [From Patel 1968 Article stolen; could not confirm.]

Picrate:
mp 222-223º dec. Benington *et al.* 1955 [*See* note above]

Bisulfate:
mp 107-108º Dyumaev & Belostotskaya 1962

Synthesis:
Benington *et al.* 1955 [*See* note above]
Dyumaev & Belostotskaya 1962

Ninhydrin gave a yellow color and Gibbs' stain was yellow-blue.
Ascending chromatography using Whatman no. 1 paper showed an Rf of 0.40 with 1-Butanol-Glacial Acetic acid-Water (4:1:5). Neff *et al.* 1964

Trace alkaloid from *Lophophora williamsii*
Lundström 1971b (trace) glc

[The β-Methoxy analog, **β-Methoxy-3,4-dihydroxy-5-methoxyphenethylamine**, has been reported in the Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (not detected in early Spring / 30.2 ppm In late Autumn) gc-ms
Acacia rigidula Bentham
Clement *et al*. 1998 (4.6 ppm early Spring/ 22.1 ppm late Autumn) gc-ms
Both were by fresh wt. in mixed leaves, petioles & tender stems]
(These two accounts are questionable.)

3-Hydroxy-4,5-dimethoxyphenethylamine

3-Demethylmescaline; O^3-Demethylmescaline; 5-Hydroxy-3,4-dimethoxyphenethylamine; 3,4-Dimethoxy-5-hydroxyphenethylamine (The first is preferred but the last two are sometimes encountered.)

$C_{10}H_{15}NO_3$

Hydrochloride:
mp 178-179º Anderson 1980
mp 180-181º Ratcliffe & Smith 1959 They originally obtained pink tinged prisms which recrystallization did not change from 146-147º but decolorization with charcoal increased to 180-181º They noted that the free base and hydrochloride had previously been described in Späth & Röder 1922 and Späth 1922
[Ed.: A couple of comments:
Unable to locate this in the text of Späth & Röder 1922. It did discuss the benzoyl derivative. Späth 1922 discussed it but could not locate a mp.

Mandava *et al.* 1981 reported substantial plant growth inhibition using the hydrochloride, as well as outright phytotoxicity (based on visible necrosis).
They ranked it similarly to candicine iodide and trichocereine methiodide in terms of plant growth inhibition and phytotoxicity.

Synthesis:
Ratcliffe & Smith 1959
Kapadia *et al.* 1969

Proposed as precursor for several of the phenolic tetrahydroisoquinolines
Shulgin 1976 page 93. Citing Paul 1973.

Ninhydrin gave a yellow color and Gibbs' stain was blue.
Ascending chromatography using Whatman no. 1 paper showed an Rf of 0.62 with 1-Butanol-Glacial Acetic acid-Water (4:1:5). Neff *et al.* 1964

3-Demethylmescaline has been reported from:
Cactaceae
Carnegiea gigantea (engelmann) Britton & Rose has been **e rroneously** listed; the claims was not supported by the reference cited (Agurell 1969b).
Lophophora williamsii (Lemaire) Coulter
Agurell & Lundström 1968 (gc. glc-ms) found it to constitute around 5% of the total alkaloid content of extracts made from fresh cacti.
Lundström 1971a (trace)
Lundström & Agurell 1971 found present as 1-5% of total alkaloid fraction in fresh cacti. [Also in Lundström 1971b]
Kapadia *et al.* 1969 (trace) glc, ms.
Pelecyphora aselliformis
From Shulgin: THIQ but not in *by species* list
Trichocereus bridgesii (Salm-Dyck) Britton & Rose has been **erroneously** listed. The reference that was cited (Agurell 1969b) did not report this.]

Trichocereus cuzcoensis Br. & R.
Agurell *et al.* 1971b (trace) glc-ms
Lindgren *et al.* 1971 (trace) glc-ms
Trichocereus macrogonus (SAlm-Dyck) Riccobono has been **erroneously** listed. The reference that was cited (Agurell 1969b) did not report this.]
Trichocereus pachanoi Britton & Rose
Agurell 1969c (trace) ms
Trichocereus werdermannianus Backeberg has been **erroneously** listed. Neither Agurell 1969c nor T.A. Smith 1977, the references cited, reported this.]

Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (11.4 ppm in early Spring / 40.9 ppm In late Autumn) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (15.6 ppm early Spring/ 57.1 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

[The α-Methyl analog, **3,4-Dimethoxy-α-methyl-5-hydroxyphenethylamine**, i.e. 3.4-Dimethoxy-5-hydroxyamphetamine, was reported in the Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (2.0 ppm in early Spring / 47.2 ppm Fall) gc-ms (This account is questionable.)
Acacia rigidula Bentham.
Clement *et al*. 1998 (5.3 ppm early Spring/ 61.4 ppm late Autumn gc-ms (This account is questionable.)
Both were fresh wt. in mixed leaves, petioles & tender stems.]

N-Methyl-3-hydroxy-4,5-dimethoxyphenethylamine

3-Hydroxy-4,5-dimethoxy-N-methylphenethylamine.

$C_{11}H_{17}NO_3$

Hydrochloride:
mp 151-155º Anderson 1980

Alkaloid from *Lophophora williamsii*
Lundström 1971c (trace) gc, gc-ms

N-Formyl-3-hydroxy-4,5-dimethoxyphenethylamine

N-Formyl-3-demethylmescaline.

Alkaloid from *Lophophora williamsii*
Kapadia & Fales 1968 (trace) gc, glc-ms

N-Acetyl-3-hydroxy-4,5-dimethoxyphenethylamine

N-Acetyl-3-demethylmescaline.

$C_{12}H_{17}NO_4$

mp 102-103º Anderson 1980

Alkaloid from *Lophophora williamsii*
Kapadia & Fales 1968 (trace) glc-ms.

N,N-Dimethyl-3-hydroxy-4,5-dimethoxyphenethylamine

3-Demethyltrichocereine; 3-Hydroxy-4,5-dimethoxy-N,N-dimethylphenethylamine

$C_{12}H_{19}NO_3$

Hydrochloride:
mp 180-185º Anderson 1980

Oxalate mp 155-156 º Neal *et al.* 1972

Orange chromophore with tetrazotized benzidine. Neal *et al.* 1972

3-Demethyltrichocereine has been reported from:
Lophophora williamsii
Lundström 1971c
Lundström 1972 observed in glc
Pelecyphora aselliformis Ehrenberg
Neal *et al.* 1972 (0.00018% by dry weight; minor alkaloid) mp, mmp, NMR
Bruhn & Bruhn 1973. (10-50% of 10-50 mg of total alkaloids/100 gm. of fresh plants) gc, glc-ms. [Found tlc did not give adequate separation from hordenine.]
[In contrast to Neal *et al.* 1972, Bruhn & Bruhn found this to be the major alkaloid.]

4-Hydroxy-3,5-dimethoxyphenethylamine

3,5-Dimethoxy-4-hydroxyphenethylamine;
3,5-Dimethoxytyramine; 4-Demethylmescaline;
O^4-Demethylmescaline.

Free base:
mp 153-154º Dyumaev & Belostotskaya 1962

Hydrochloride
mp. 242-244º (isolated)/ mp 249-251º (reference material) (mmp 243-245º) Pardanani *et al.* 1977.
mp 250º Brossi *et al.* 1965
mp 256-257 Dyumaev & Belostotskaya 1962
mp 258-259º (Recrystallized from Methanol-Ethyl acetate) Benington *et al.* 1954

Bisulfate
mp 143-144 Dyumaev & Belostotskaya 1962

Picrate
mp 217-218º dec. Tomita & Takano 1959. [From Patel 1968. Unable to confirm. Our copies start with 1960]
mp 231-231.5º (Recrystallized from Acetic acid.) Benington *et al.* 1954

MS Pardanani *et al*. 1977

Synthesis:
Benington *et al.* 1954
Brossi *et al.* 1965
Dyumaev & Belostotskaya 1962

Found to be a moderate inhibitor of succinic dehydrogenase. Clark *et al.* 1954

Ninhydrin gave a purple color and Gibbs' stain was green-blue. Ascending chromatography using Whatman no. 1 paper showed an Rf of 0.55 with 1-Butanol-Glacial Acetic acid-Water (4:1:5). Neff *et al.* 1964

This compound has not been reported from *Lophophora williamsii*. This may be due to the fact that, unlike 3-demethylmescaline, this alkaloid is thought to favor the production of mescaline rather than tetrahydroisoquinolines, although isoquinolines have been reported in cacti which do contain mescaline. (There is the probability that it may also be formed in peyote but immediately converted to mescaline.)

Anywhere it has been reported it has been as trace amounts. In mescaline producing species which contain both it and mescaline, it is the immediate precursor to mescaline.

It should be noted that any cactus which has the enzymes required to make this compound is *potentially* capable of making mescaline.

So far this direct mescaline precursor is reported from:

Cactaceae

Carnegiea gigantea (Engelmann) Britton & Rose has been **erroneously** listed; the claim is not supported by the reference that was cited (Agurell 1969b)

Escontria chiotilla (Weber) Rose
Ma *et al.* 1986 (Around 0.01% dry wt.) tlc, ms-ms

Lophophora diffusa var. ***koehresii*** Říha
Starha & Kuchyna 1996 (0.10% [± 0.02] of the total alkaloid content) (Total alkaloid concentration not included) gc, gc-ms

***Lophophora* sp.** var. Vieska (Viesca), Mex.
Starha & Kuchyna 1996 (0.77% [± 0.09] of the total alkaloid content) (Total alkaloid concentration not included) gc, gc-ms

Melocactus maxonii (Rose) Gürke
Ma *et al.* 1986 tlc indicated it to be present around 0.01% by dry weight, but it was not detected by ms-ms.

Neoraimondia arequipensis var. ***roseiflora*** (Werdermann & Backeberg) Rauh
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight.

Opuntia acanthocarpa Engelmann & Bigelow
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight

Opuntia basilaris Engelmann & Bigelow
[Also appears spelled *basilaria*. We used the spelling in Benson 1982]
Ma *et al*. 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight

Opuntia echinocarpa Engelmann & Bigelow
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be around 0.01% by dry weight

Opuntia exaltata Berger
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight

Polaskia chende (Gossellin) Gibson & Horak
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight

Pterocereus foetidus Th.MacDougall & F.Miranda.
Ma *et al.* 1986 Not detected by tlc. ms-ms indicated its presence to be less than 0.01% by dry weight

Pterocereus* (?) *gaumeri (Britton & Rose) Th.MacDougall & F.Miranda
Ma *et al.* 1986 tlc indicated it was present around 0.01%/ ms-ms indicated its presence to be less than 0.01% (by dry weight)

Stenocereus beneckei (Ehrenberg) Buxbaum
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight

Stenocereus eruca (Brandegee) Gibson & Horak
Ma *et al.* 1986 tlc indicated it to be present around 0.01% by dry weight, but it was not detected by ms-ms.

Stenocereus stellatus (Pfeiffer) Riccobono
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight

Stenocereus treleasei (Britton & Rose) Backeberg
Ma *et al.* 1986 Both tlc and ms-ms indicated its presence to be around 0.01% by dry weight. [Identity tentative. May have been a variety of *S. stellatus*.]

Trichocereus bridgesii (Salm-Dyck) Britton & Rose has been listed **in error**. The reference cited, Agurell 1969b, did not report this alkaloid.

Trichocereus macrogonus (Salm-Dyck) Riccobono has been listed **in error**. The reference cited, Agurell 1969b, did not report this alkaloid.

Trichocereus pachanoi Britton & Rose

Agurell & Lundström 1968 (reported) glc, gc-ms

Agurell 1969c (trace) ms

Agurell 1969b (trace) gc, ms

Trichocereus peruvianus Britton & Rose

Pardanani *et al.* 1977. (0.0035% by dry weight) tlc (in 5 systems), mp, mmp, ms, ir.

Trichocereus werdermannianus Backeberg

Agurell 1969c (trace) ms

Agurell 1969b (0.1% of total alkaloids) gc, ms

Leguminosae

Acacia berlandieri Bentham

Clement *et al.* 1997 (2.7 ppm in early Spring / 43.4 ppm In late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

Acacia rigidula Bentham

Clement *et al*. 1998 (1.6 ppm early Spring/ 21.6 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

Plants related to any of the above are suggested for analytical work.

Alkaloid analysis should include the following genera:

Armatocereus	*Melocactus*
Azureocereus	*Neoraimondia*
Browningia	*Neocardenasia*
Echinopsis	*Polaskia*
Eriocereus	*Pterocereus*
Escontria	*Roseocereus*
Gymnocereus	*Stenocereus*
Helianthocereus	*Sublobivia*
Hertrichocereus	*Tacinga*
Lemaireocereus	*Trichocereus*
Lobivia	*Trichoechinopsis* hybrids

Apparently *Opuntia* and *Tephrocactus* might also prove promising leads. It is suggested that both the smaller padded and globose jointed specimens be targeted for screening. [*Opuntia brasiliensis* (*Brasiliopuntia brasiliensis*), other *Brasiliopuntia* species and *Maihuenia* species are also strongly suggested for analysis.]

We would also suggest *Acanthocalycium, Echinocactus*, *Epiphyllum*, *Gymnocalycium*, *Matucana*, *Neochilenia*, *Notocactus*, *Selenicereus*, *Submatucana* and *Turbinicarpus* as additional genera of possible interest in this regard.

Mescaline's entry containing details of nomenclature, physical constants, pharmacology and occurrences was more voluminous than the other phenethylamine entries. They comprise their own two chapters, formerly part of Sacred Cacti Part A, that are now located on the following pages.

The rest of the phenethylamine entries continue after the mescaline chapters.

Mammillaria dioica
(SRSU)

Chapter Two

***Lophophora williamsii* in habitat (Mexico)**
Photo by Hjeran

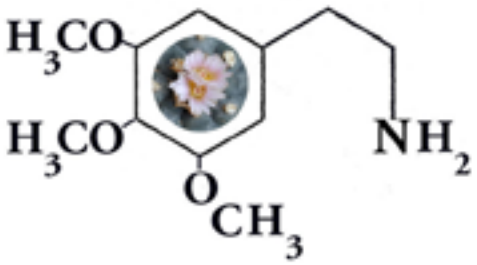
2550m; Huancabamba, Peru
Collected as a San Pedro and labeled *T. pachanoi* until after its flowering in 2002.
This specimen is the frequent target of thieves and often is not on public display.

Mescaline
Physical Data & Toxicity

23 November, 1897 marked the discovery of mescaline's activity using human bioassay, by Arthur Heffter.

Arthur Heffter
(modified from a photo in Bruhn)

This was the world's first pure mescaline trip and the first reported trip using a pure entheogenic molecule.
Heffter named the compound Mezcalin (mescaline). [From Ott 1993.]



Beilstein 13[3] and 2375

CA Reg. No.: 000054046 [54-04-6]
Edgewood Arsenal Number EA-1306 Shulgin & Shulgin 1991: entry #96
NIOSH # SI 2625000.
Sax 1984 and Southon & Buckingham 1989

A Schedule 1 Controlled Substance in the US since 1971.
21 CFR, 1308.11

WLN: Z2R CO1 DO1 EO1
HAYWARD: 6{R(OM)}3RR(CCZ)R
Usdin & Efron 1979: entry #1145

3,4,5-Trimethoxy-β-phenethylamine, 9CI;
3,4,5-Trimethoxy-β-phenethylamin (Gr.); Triméthoxy-3,4,5 phénéthylamine (Fr.); 3,4,5-Trimethoxybenzeneethanamine, 8CI; 3,4,5-Trimethoxy-benzolethanamin (Gr.); 2-(3,4,5-Trimethoxy-phenyl)-ethylamin(e); (Triméthoxy-3,4,5 phényl)-2 éthylamine (Fr.); 3:4:5:Trimetossifenilethamina (It.); 3,4,5-trimetoxifenetilamina (Sp.); 3,4,5-trimethoxy-phenaethyl-amin (UN); 3,4,5-trimethoxyphenethylazan (UN); Mescaline (Eng.; Fr; NL); Mescalin; Meskalin (Gr.); Mezcalin(e); Mezcline(?) **[Note 1]**; Mezkalin; Mescalina; Meskalina (Pol.); Meskalinas (Lith.); Mezcalina; Peyotl; T.M.P.E.; TMPEA (Penick); 墨斯卡林 (Ch.); Ubatama (Jp.); ペヨーテ (Jp.); 烏羽玉 (Jp.); M. **[Note 2]**

MW 211.25 Merck 9th: entry # 5752 & Ott 1993/1996: p. 443, entry #27 [Citing Merck 11th: entry #5808]
MW 211.26 CRC 1980-1981; MW 211.260 Southon & Buckingham 1989 Vol. 1: p. 694; entry #M-00128
MW 211.29 Sax 1984: p. 1757. [See also Sax & Lewis 1989: entries MDI500 (free base), MDI750 (HCl) and MDJ000 (sulfate)]
MW 211.3 United Nations 2006

$C_{11}H_{17}NO_3$
C 62.54%, H 8.11%, N 6.63%, O 22.72%

Free base
Colorless (if pure) or yellow corrosive and strongly alkaline oil.
Cruz Sánchez 1948 described it as a basic, yellow, oily liquid with a nauseating smell and a bitter taste.
Colorless crystals mp 35-36º Most references. [Kindler & Peschke 1932b
mp 30-32º Anderson 1980 [Value from Hahn & Wassmuth 1934]
mp 35.5ºC (~96ºF) Barceloux 2008
bp 140º (760 mm) Cruz Sánchez 1948
bp 180º C at 11 mm Sax 1984
bp_{12} 180º Most references. [Slotta & Heller 1930]
bp 180-186º at 12 mm Späth 1919
bp 183-186º Anderson 1980
Weakly fluorescent. Gillespie 1969

Distilled:
80º at 0.01 mm (as colorless oil). Poisson 1960.
120-130º at 0.05 mm. Bahnholzer *et al.* 1952
120-130º C at 0.3 mm/Hg (as white oil). Shulgin & Shulgin 1991
Vapor pressure 3.22E·0.4 mm Hg. Barceloux 2008

Moderately soluble in water (more so in hot than cold) [Cruz Sánchez 1948 described it as slightly soluble]
Water solubility 8.41E + 04 mg/L (25ºC/77ºF) Barceloux 2008
Soluble in acetone, acidic solutions (as the corresponding salt), alcohols, benzene, chloroform, toluene and xylene.
Salomon *et al.* 1949: a 1:1 mixture of iso-butyl alcohol and toluene is "*superior for the extraction of mescaline*" from alkaline solutions.
Almost insoluble in ether and petroleum ether. (Almost does not mean not, it means barely.) [Curiously Cruz Sánchez 1948 described it as very soluble in ether.]
Gennaro *et al.* 1996 reported a 78% extraction efficiency (based on their recovery) if defatted with ether as opposed to in excess of 90% extraction efficiency if they did not defat. (Using reference mescaline added to the pulped flesh of the nonmescaline containing *Echinocactus polycephalus*.)
Distribution ratio (Octanol-Water) log P= 0.78 Barceloux 2008
Takes up CO_2 from the air and solidifies, forming a crystalline carbonate. Merck 9th
Hobschette 1929 made the comment that the base would remain a pure liquid so long as it was protected from exposure to CO_2 in the air.

Counter culture drug literature implies the pK to be around 10 but scientific investigators successfully use pH values of around 8.3 to 9.6 when liberating the free base.

(Musacchio & Goldstein 1967 used pH 8.5 in a quantitative work.)

Woods *et al.* 1951 found the following percentages of extraction at varying pH levels:

pH 5.2	0%		
pH 5.6	4.8%	pH 8.0	90%
pH 6.0	6.4%	pH 8.3	93%
pH 6.5	10%	pH 8.6	97%
pH 7.0	30%	pH 9.0	100%
pH 7.5	63%	pH 9.2	101% [?!]

This implies the pK to be around 9.

Barceloux 2008 gives the pKa as 9.56.

LD_{50}

These do not represent the free base equivalency unless expressed as mM/kg. See more below.

500 mg/ kg/ ip/ mouse (Usdin & Efron 1979 citing Merck 7th ed.; Merck lists this in the 8th edition (on page 663) but lists no reference or the form of the salt. Not in the 9th or later editions.

157 mg/ kg/ iv/ mouse & 534 mg/ kg/ ip/ mouse (Usdin & Efron 1979 citing *Anon. Excerpta Medica, VIII, Subsection 58*); We have not located this. It apparently is not *Excerpta Medica* Section VIII, nor is it *Excerpta Medica* Volume VIII.

157 mg/ kg/ iv/ rat, 534 mg/ kg/ sc/ rat (Usdin & Efron 1979 cited Kapadia & Fayez 1970; see below.)

880 mg/kg orally in mice (if grouped)

1180 mg/kg (when isolated)

(95% confidence limits after 4 hrs.) Given in a starch vehicle. Form of base unspecified. (Using male, albino, Manor Farms mice) Greenblatt & Osterberg 1961.

LD_{50} In Rat:

534 mg/kg/ sc Kapadia & Fayez cites Hoshikawa 1964. See below.

330-410 [370] mg/ kg/ ip Kapadia & Fayez 1970 cited Speck 1957. (See under sulfate)

370 mg/ kg/ ip (Anderson cites Fischer (1958); Fischer cited Speck 1957. See below. (under sulfate salt)

157 mg/kg/ iv Kapadia & Fayez 1970 cited Hoshikawa (1964) See below.

[Ludueña 1936 found an LD_{100} of 200 mg/kg ip in rats. Hydrochloride salt was implied but not specifically stated for mescaline.]

LD_{50} of Mescaline (as milliMoles per Kilogram)

Mouse:

3.681 mM/kg oral. Davis *et al.* 1978

0.86 mM/kg i.p. Anderson 1980 cited Hardman *et al.* 1973

0.444 mM/kg i.v. Davis *et al.* 1978

Rat:

0.53 mM/kg i.p in rats. Anderson 1980 cited Hardman *et al.* 1973 (Hardman claimed rats were more susceptible than mice. Not supported by Davis.)

1.090 mM/kg i.p in rats. Davis *et al.* 1978

1.32 mM mescaline base per kg of body weight (given i.p.) caused death in less than 30 minutes. (Noting that flexor convulsions were followed by cardiac arrest [in diastole].) Speck 1957. Speck used Sprague-Dawley rats. [All doses of over 700 mg/ kg resulted in death after a short period of hyperactivity and flexor convulsions.] Given as sulfate.

Guinea pig:

1.33 mM/kg i.p. Anderson 1980 citing Hardman *et al.* 1973

Dog:

0.22 mM/kg i.v. in dogs. [around 10 x the normal human dose] Anderson 1980 citing Hardman *et al.* 1973

0.274 mM/kg i.v. in dogs. Davis *et al.* 1978

Monkey:

0.53 mM/kg. i.v. in monkeys. Anderson 1980 cited Hardman *et al.* 1973

0.65 mM/kg iv in monkeys. (determined as minimal lethal dose rather than LD_{50}). Davis *et al.* 1978

TDLo: ("Toxic dose low." the least amount reported to cause toxic effects.) (**As Teratogen**):

Hamsters (7-10 days pregnant): 64 mg/ kg/ oral [Sax 1984 cited Hirsch & Fritz 1974]

> [Ed.; This experiment compared the effects of mescaline and nor-epinephrine (nor-adrenaline) administered on days 7-10 of gestation, using virgin cream-strain hamsters. (They also evaluated epinephrine.)
>
> Animals receiving dosages of 32 mg/kg of mescaline orally showed 48.9% resorption versus 14.2% resorption in the controls. Those receiving levels of 16 mg/kg showed 24.8% resorption. (This stands in curious contrast to their other set of controls (below), and also to Geber (farther below) who reported 2% resorption in his controls)
>
> Animals given doses of 0.5 mg/kg of nor-epinephrine subcutaneously showed 28.5% resorption versus 4.4% resorption in the controls.
>
> They were of the opinion that "direct embryonic effects were limited". Mean closure grading of the skull was lower in embryos from **all** treated animals and ossification (bone formation) of sternum (breastbone) and metatarsals (toe bones) was delayed.
>
> They also mention that fatty infiltration of the liver was observed in 84.9% of the embryos from animals receiving 16 mg/kg versus the 31.2% seen in those of the controls. Embryos from animals receiving 32 mg/kg of mescaline showed a 90.1% incidence.
>
> Fatty infiltration of the liver was seen in 42.5% of the embryos from animals receiving nor-epinephrine versus 0% of the controls.
>
> There are some clear discrepancies in this study which we at a loss to understand. They did not include time frames or parameters of harvest or of evaluations.
>
> We do not know where Sax got the figure of 64 mg/kg It wasn't from this article]

Hamsters (8 days pregnant): 450µg/kg./ subcutaneous [Sax 1984 cited Geber 1967]

> [Ed.; Geber used randomly bred stock of the Lakeview Hamster Colony. A curious feature of this experiment was that there was no correlation between incidence of congenital abnormalities and dosage. Highest levels of abnormalities occurred with the lowest dose they evaluated; 0.45 mg/kg The dosage level of 1.33 mg/kg of mescaline produced only 32% as many abnormalities and the 3.25 mg/kg level showed 39% as many abnormalities as the 0.45 mg/kg level. There **was** a dose related correlation observed in terms of decreased number of fetuses per pregnancy, increased numbers of resorptions, dead fetuses and runts.
>
> These should be considered in light of mescaline's known effects as an inhibitor of mitosis (cell division) and reports that mescaline causes vasoconstriction of human placental umbilical tissue *in vitro*. It must be noted that the period of

time when they evaluated the drug is also the time considered to be the most effective period for inducing teratogenesis and is therefore used to study this potential in drugs. (Crucial stages in early fetal development are times when any and all drugs should be avoided.)

However, it must be stressed that in no cases were the fetuses allowed to go to term. All fetuses of Geber were killed four days after their exposure to the drug on day eight of pregnancy and then hardened in 10% formaldehyde for 3 days prior to evaluation. He did conclude that mescaline was a less potent teratogen than either LSD or BOL.

[It should also be mentioned that numerous things (such as copper) are teratogenic in hamsters. Their pronounced sensitivity towards teratogenic effects is one of the primary reasons they are used for such screenings.]

Sax's unfortunate listing of guinea pigs (which are nowhere mentioned by any of his cited references) was apparently picked up by James Duke and included in his 1985 *CRC Handbook of Medicinal Herbs* so we can expect this error to continue to reappear in the future.

LDLo: ("Lethal dose low." i.e. the least amount reported to cause death.)
Guinea pig: 500 mg/kg/ parenteral.
Frog: 750 mg/kg/ parenteral. Sax 1984 cited Grace 1934 [Unable to confirm. Our copy lacks 1934.]

Toxicology reviews

Author? (1977) *Pacific Information Service on Street Drugs* 5 [From Sax 1984]
Brown & Malone 1978 [From Sax 1984. This fairly impoverished work relies heavily on myhology and hyperbole.]
Kapadia & Fayez 1970

325 mg of Mescaline hydrochloride (a single dose)
Photo courtesy of Aleph117

Mescaline hydrochloride
[CA Reg. No: 832-92-8]
NIOSH # SI 2800000 Sax 1984 [Sax & Lewis 1989: entry MDI750] and Southon & Buckingham 1989
$C_{11}H_{17}NO_3 \cdot HCl$
MW 247.75 Sax 1984
MW 247.4 Hardman *et al.* 1973

Needles (small & usually white)
mp 150º (short yellow staffs from water) Cruz Sánchez 1948
mp. 181º (CRC, Merck 9th, Ott 1993 and Sax 1984)
Crude isolate: mp 174-176º
One recrystallization: 180-182º. (Recovered 74%.)
Two recrystallizations: 181-183º. (Recovered 90%.)
Three recrystallizations: 182-184º. (Recovered 85%).
Net recovery from crude isolate was 57%.
Paul 1973
Patel 1968 lists mp 178-179º for *Swiss Patent* 147,949 Jan. 8 1930 to Soc. Anon. pour l'ind. à Bâle. [*CA* (1932) 26: 2278] *Chemical Abstracts* says it was for 3,4,5-Triethoxyphenethylamine and that it "*is used in therapy*."
mp 178-180º (from H_2O) Rabusic & Gregor 1967
Crude mp 178-180º . After recrystallization from alcohol, mp 184º. Hahn & Wassmuth 1934.
mp 180-181º (from 2-propanol) Aboul-Enein & Eid 1979
mp 180-181º (from alcohol) Benington & Morin 1951
Colorless crystals mp 181º Reti 1950
mp 181º (white blades from ethanol) Slotta & Heller 1930
mp 181° (from IPA) Rose-Munch *et al*. 2000 (Recrystallized from isopropanol by adding a calculated amount of HCl in MeOH.)
mp 181-182º was observed both in synthetic and isolated material by Reti & Castrillón 1951
mp 181-182º was reported for commercially available material by Clark *et al.* 1965
mp 181-184º Amos 1964
Amos cites Reti 1953 as giving 183-186º for hydrochloride.
mp 182º (needles) Hahn & Rumpf 1938
mp 182º (From Methanol-Ether) Poisson 1960
mp 182-184º Erne & Ramirez 1950
mp 184º (Colorless crystals from alcohol) Kindler & Peschke 1932
mp 184º Dornow & Petsch 1951
mp 184 (from ethanol) Dornow & Petsch 1952
mp 184º Slotta & Szyszka 1933 [From Patel 1968. Unable to confirm. Our library does not subscribe.]
mp: 184º. Southon & Buckingham 1989
mp 184-185º Crosby & McLaughlin 1973
mp 184-187º (Mescaline hydrochloride obtained from Sigma.) They found the same mp in radiolabelled mescaline obtained from New England Nuclear Corporation). mp 184.5-185.8º was their experimental value from mescaline recovered and purified from human urine.
Mixed (1:1) mp 185.8-187º.
Charalampous *et al.* 1966

Soluble in water and in alcohols.
Insoluble in ether.
[According to Cruz Sánchez 1948 the hydrochloride is extremely soluble in water but insoluble in sulfuric ether, petroleum ether, chloroform, acetone & benzene.]

Mescaline hydrochloride is listed as having a pK_b of 4.25 in H_2O. Fischer 1954; citing private communication from E. Rothlin.

Hydrochloride salt is said to show a violet reaction with Fröhde's reagent. Cruz Sánchez 1948

LD_{50} (of HCl)
i.p.= 212 mg/kg in mice, 132 mg/kg in rats and 328 mg/kg in guinea pigs.
i.v = 110 mg/kg in mice, 130 mg/kg in monkeys and 54 mg/kg in dogs.
Hardman *et al.* 1973.

LD_{50} (as hydrochloride):
Mouse:
912 mg/kg/ oral Davis *et al.* 1978. [Davis and co-workers used male Swiss-Webster mice. 24 hour LD_{50} values were determined with administration occurring between 830 and 1030 AM. They used synthetic mescaline hydrochloride from Sigma.]
190 mg/kg/ intraperitoneal/ mouse Cruz Sánchez 1948 (27.5 hour value)
212 mg/kg/ intraperitoneal Hardman *et al.* 1973. They similarly determined 24 hour LD_{50} values using synthetic mescaline hydrochloride that had been furnished by the Army Chemical Center (Edgewood Arsenal). Hardman's work was actually performed during 1953-1954 and declassified in October of 1969. Hardman used male and female Swiss-Webster mice.
261 mg/kg/ intraperitoneal Walters & Cooper 1968. Used "male albino mice".
315 ± 20.5 mg/kg/ intraperitoneal in mice Ho *et al.* 1970. LD_{50} determined over a 24 hr. period. Hydrochloride salt strongly implied, but not specifically stated for mescaline. They apparently synthesized their material. (Used male, albino, Yale-Swiss mice) Administered in 30% aqueous propylene glycol.
110 mg/kg/ intravenous Davis *et al.* 1978. [Davis and co-workers had used male Swiss-Webster mice. 24 hour LD_{50} values were determined with administration occurring between 830 and 1030 AM.]
Rat:
320 mg/kg/ subcutaneous [Sax & Lewis 1989 cited 1941 J. Pharm. Exp. Ther. 71: 62; we were unable to confirm as our library lacks 1942]
132 mg/kg/ intraperitoneal Hardman *et al.* 1973 Using male and female Sprague-Dawley mice from Upjohn.
270 mg/kg / intraperitoneal. Davis *et al.* 1978 They used male Sprague-Dawley rats. 24 hour LD_{50} values were determined with administration occurring between 830 and 1030 AM.
Dog:
54 mg/kg/ intravenous Hardman *et al.* 1973 Usingmale and female dogs. 24 hour values.
68 mg/kg / intravenous Davis *et al.* 1978 . They used male and female dogs. 24 hour LD_{50} values were determined with administration occurring between 830 and 1030 AM.
Monkey:
130 mg/kg/ intravenous Hardman *et al.* 1973 Hardman used male and female Rhesus monkeys.
160 mg/kg /intravenous (determined to be minimum lethal dose rather than LD_{50}) Davis *et al.* 1978 . (They used juvenile Rhesus monkeys held in a primate restraining chair.)
Guinea pig:
328 mg/kg/ intraperitoneal Hardman *et al.* 1973 Hardman used male and female animals.

LD_{100}
200 mg/kg ip in rats. Hydrochloride salt was implied but not specifically stated for mescaline. Ludueña 1936
Mouse & Rat (HCl): 200 mg/kg/ip (death occurred, respectively, 10-15 & 5-10 minutes after onset) Cruz Sánchez 1948

LDLo: ("*Lethal dose low*." i.e. the least amount reported to cause death.)
Guinea pig: 500 mg/kg/ parenteral.
Frog: 750 mg/kg/ parenteral. Sax 1984 cited Grace 1934 [Unable to confirm. Our copy lacks 1934.]
Mouse & Rat (HCl): 180 mg/kg/ip Cruz Sánchez 1948

Mescaline sulfate

Mescaline sulfate dihydrate

$(C_{11}H_{17}NO_3)_2 \cdot H_2SO_4 \cdot 2\ H_20$
Prisms, mp. 183-186°
According to Cruz Sánchez 1948 the sulfate is yellow needles that are very soluble in water & insoluble in sulfuric ether, petroleum ether, chloroform, acetone, benzene & alcohol.
UN gives as sparingly soluble in cold water, soluble in boiling water, sparingly soluble in ethanol and soluble in methanol.
Apparently insoluble in cold or hot chloroform.
Apparently insoluble in cold or hot acetone.
Apparently insoluble in cold methanol but soluble in hot methanol
Apparently insoluble in either cold or hot denatured ethanol.
Above reported by Aardvark (unpublished trials; conditions did not differentiate between insoluble and sparingly soluble)
mp 183-186°.(recrystallized from water) Amos 1964
Forms brilliant prisms mp 183-186°. Reti 1950
Sulfate · 2 H_2O 183-186° Späth 1919
Sulfate 185-186° Hadacek *et al.* (1955)

Mescaline acid sulfate
$C_{11}H_{17}NO_3 \cdot H_2SO_4$ Merck 9th (Mescaline sulfate Sax 1984)
CAS RN: 5967420 Sax 1984 [Sax & Lewis 1989: entry MDJ000]
NIOSH #SI 3500000 Sax 1984
MW 309.37 Sax 1984

Crystals mp 158°. Merck 9th and Sax 1984
Acid sulfate (prisms) 158° Hahn & Rumpf 1938

The following melting points and names of salts are as given:
Sulfate mp 181-184° (from Hoffmann-LaRoche) Salomon & Bina 1946
Acid sulfate 183° (Recrystallized twice from Ethanol) Colorless long thin plates. Tsao 1951
Softened at 172° then melted at 183°. Their reference material had been derived from natural sources and softened at 170° and melted at 180°. Mixed mp was 181°.
Acid sulfate · 1H_2O 183-186° Block & Block 1952. mmp with mescaline sulfate from Merck showed same mp.

LD_{50} (as sulfate)
Rat:
534 mg/kg/ subcutaneous. Sax 1984 cited Kapadia & Fayez 1970 [Kapadia & Fayez cited Hoshikawa 1962 and the corresponding 1964 *Chemical Abstract.* The latter of these does not specify either the form of the salt used or the strain of rat. We have not yet obtained the 1962 source article.]
370 mg/kg/ intraperitoneal Speck 1957. [Speck had used male Sprague-Dawley rats. She used synthetic mescaline sulfate from Hoffmann-LaRoche.] [Note: A dosage of 250 mg/ kg did not produce any incidence of deaths when given ip in Dessi & Labó 1950]
157 mg/kg/ intravenous Sax 1984 cited Kapadia & Fayez 1970. Again they cite Hoshikawa. See note above.
Mouse:
500 mg/kg/ intraperitoneal. Sax 1984 [Gave reference as 12VXA5 [using CODEN]; but we could not find it listed in their references]
157 mg/kg/ intravenous Sax 1984 cited Usdin & Efron 1972; Usdin & Efron 1972 (page 346) cited *Excerpta Medica*, VIII, Subsection 58. **[Note 3]**.
177.5 mg/kg/ intravenous Delay *et al.* 1950. (Reported 200 mg/ kg as an LD_{100}.)

Mescaline sulfate
Photo courtesy of Aleph117

Cruz Sánchez 1948 gave 500 mg/kg/sc to dogs, apparently without any lethality. He reported recovery after 4 hours. As has been noted by others, Cruz Sánchez found that mescaline had no discernable effect on rabbits.

Toxicological assessment of Cruz Sánchez 1948 (in mg/ kg/ intraperitoneal):

% Lethality	Mouse	Rat
0	170	175
3.3	180	na
10	na	180
50	190	190
100	200	200

Toxicology review Sax 1984 cited Fischer 1958.

Mescaline picrate:
$C_{11}H_{17}NO_3 \cdot C_6H_3N_3O_7$
Diamond shaped prisms from toluene. mp 221-223° Extinction angle of 10° in polarized light. Edge angle of crystals: 141° (reference material) (142° -recovered from urine.). Richter (1938) .
Yellow crystals (from alcohol) mp 219-220° Merck 9th [Yellow to reddish mp 217-219° Hahn and Wassmuth (1934)]
mp 222-223° . Paul 1973
Rosenberg *et al.* 1967 reported experimental values of 220-221°, 222-223° and 220-222°. His reference standard gave mp of 220-222°, and 221-222°. He mentioned Reti 1953 as reporting 222°.
mp 210-212°. 214-216° (after two recrystallizations from alcohol) Benington & Morin 1951. They note Späth 1919 reported 216-218°.
mp 214-216° (Recrystallized from EtOH.) Benington & Morin 1951
mp 216° Bahnholzer *et al*. 1952
mp 216-218°. Reti 1950
mp 216-218° Späth 1919
mp 216-218° Erne & Ramirez 1950
mp 217° dec. (Recrystallized three times from alcohol) Tsao 1951
According to Kametani *et al.* 1966; mp 217° was reported by Hahn & Hansel 1938; this is in error **[Note 4]**. Kametani also says Dornow & Petsch 1951 found 218° which is also in error **[Note 5]**.
Crude mp 215-217°. After recrystallization from alcohol mp 217-219° Hahn & Wassmuth 1934
mp. 217-220° Salomon *et al.* 1949
mp 218° Hahn & Rumpf 1938
mp 218° (From Methanol) Poisson 1960
mp 218-219° Späth 1921. (on page 109)
mp 218-219° Kametani *et al.* 1966
mp 218-220° Hadacek *et al.* 1955
mp 219° in isolated material and 216-218° in synthetic. Reti & Castrillón 1951.
mp 219-220° Skita & Keil 1932
mp 222° Dornow & Petsch 1952
Patel (1968) lists mp 222° for Frisch & Waldman *Austrian Patent* 125,694 July 15 1931. [*CA* (1932) 26: 1302] *Chemical Abstracts* does not list the mp. Patent was as mescaline.
Patel (1968) lists 222° for Frisch & Waldman *German Patent* 545,853 July 3 1930. [*CA* (1932) 26: 3521] *Chemical Abstracts* does not give mp. Patented as α-(3,4,5-Trimethoxyphenyl)-β-aminoethane.
mp 222° (from benzene) Kindler & Peschke 1932
Patel (1968) lists 222° for Frisch & Waldman *Austrian Patent* 125,694 July 15 1931. [*CA* (1932) 26: 1302] *Chemical Abstracts* does not give mp.

Mescaline chloroaurate: (Merck calls aurichloride monohydrate)
$C_{11}H_{17}NO_3 \cdot HCl \cdot AuCl_3 \cdot H_2O$
Orange needles (from H_2O) mp 140-141° (dec.) Merck 9th
Chloroaurate $\cdot 1H_2O$ 140-141°(dec.) Späth 1919. From Patel (1968) Unable to confirm. Volume 40 missing from our library.
Chloroaurate . $1H_2O$ Orange needles 140-141°. Reti (1950)
mp 142-144°. Paul 1973
Rosenberg *et al.* 1967 reported experimental values of 141-143° and 142-143°. His reference standard gave mp of 141-143°, and 142-143°. He cited Reti 1953 as reporting 140-141° .
Very soluble in alcohol and water Merck 9th

Mescaline chloroplatinate (Merck calls platinichloride)
$(C_{11}H_{17}NO_3)_2 \cdot 2HCl_4PtCl$
Yellow needles (from H_2O) mp 187-188° (dec.) Merck 9th
mp 184-185° Tsao 1951
mp 187-188° Späth 1919. [From Patel 1968. Unable to confirm. Volume 40 missing from our library.]
Straw yellow needles mp 187-188°. Reti 1950
mp 188° in isolated material and 187-188° in synthetic. Reti & Castrillón 1951

Mescaline methiodide
mp 226-228° in isolated material and 226-228° in synthetic. Reti & Castrillón 1951.
Quaternary methiodide 224-225° Späth 1919 [From Patel 1968. Unable to confirm. Missing from our library.]
Quaternary methiodide 225°. Reti 1950

Dragendorff's precipitate is soluble in alcohol.
Tannic acid precipitate is soluble in alcohol.
Silver chloride precipitate is soluble in alcohol.
Zinc chloride precipitate is soluble in alcohol. Cruz Sánchez 1948

Mescaline's action

Hallucinogenic. Usdin & Efron 1979 cited Späth 1919

Therapeutic category is an experimental psychotomimetic. Merck 9th

"*Highly toxic orally*" Experimental teratogen **[Note 6]**. Southon & Buckingham 1989

[Editor's comment: This seems a somewhat strange categorization as, in the mountain of literature surrounding mescaline, very few adverse reports exist and **no verifiable human death has ever been reported** in the scientific literature as resulting from either mescaline or peyote. There is **one** *purported* and unsubstantiatable death said to have resulted from the intravenous administration of mescaline in the amount of 15 grams during the course of military experimentation. This is in the neighborhood of 150 to 200 mg/kg which is far in excess of what anyone is likely to consume. Oral ingestion resulting in fatality would no doubt require substantially more than this. Published LD_{50} values show mescaline to be around twice '*as toxic as*' aspirin and far less toxic than the sodium nitrite routinely added to packaged meat products (which has resulted in a fair number of human deaths, mainly children, from consumption of large quantities of over-nitrited hot-dogs) or still less toxic than the ammonium chloride which is now touted as the "safe" alternative to direct chlorination of drinking water ("chloramination").

I would never claim mescaline is non-toxic but there is a peculiar and emphatic bias towards labeling the hallucinogens as being terribly dangerous that simply does not balance with reality. Even if minimally informed about what they are ingesting, mescaline and the major indolic hallucinogens pose little or no risks, other than legal, to normal people who take them knowingly and voluntarily.]

[For a relative risk assessment consult Cohen 1960 where the outcome of 25,000 LSD administrations were surveyed.]

(As Human Central Nervous System Stimulant): Sax 1984

5 mg/ kg./ oral [citing Garattini & Ghetti 1957]

7 mg/kg/ intravenous [citing Garattini & Ghetti 1957]

2500µg/kg./ intramuscular [citing Wolbach *et al.* 1962]

The first and second of these are given by Duke 1985 as human TD_{LO} values. i.e. the least dose to produce toxic effects. Exactly what he considers 'toxic effects' are never stated. Humans have been injected with far larger amounts without 'toxicity' being reported. Does Duke consider activity itself to be a 'toxic' effect?

Dosage

Entheogenic (Ott 1993); Psychoptic (Ott 1996); above 2-3 mg/ kg (Both cited Heffter 1898a and Anderson 1980)

Hallucinogenic dose in humans:

200-400 mg. as sulfate, 178-256 mg. as hydrochloride Shulgin & Shulgin 1991

300-600 mg. (Usdin & Efron 1979 cited their reference number 450, which is not correct.)

4 mg/ kg Usdin & Efron 1979 cited Dey *et al.* 1963 [which is an incorrect reference]

175-350 mg/ im; 490 mg/ iv; 350 mg/ po Usdin & Efron 1979 cited Jacob 1966.

Our preferred range, as sulfate, is between 5.7 and 8.5 mg. per kilogram of body weight.

(Equivalency of San Pedro is ≅ 3 to 4 grams of fresh cactus per **pound** of body weight. This is a high figure, many cultivated plants require several times as much **[Note 7]**)

A concentration (calculated) of 10^{-5} M in humans **[Note 8]**. Bain 1957

Duration

10-12 hours **[Note 9]** Shulgin & Shulgin 1991

Isolation

Arthur Heffter (1896)a *Berichte der Deutschen Chemischen Gesellschaft* 29: 221-227 (From *Lophophora williamsii*) The discovery of its activity via human bioassay occurred 23 November, 1897 **[Note 10]** (See 1898b)

Guillermo Cruz Sánchez (1948) *PhD Thesis; Instituto de Farmacologia y Terapeutica Universidad Nacionale Mayor de San Marcos, Lima, Peru*. (3 routes from *Trichocereus pachanoi* misidentified as *Opuntia cylindrica*)

L. Reti & Juan A. Castrillón (1951) *Journal of the American Chemical Society* 73 (4): 1767-1769 (From *Trichocereus terscheckii*)

Jacques Poisson (1960) *Annales Pharmaceutiques Françaises* 18: 764-765. (From *Trichocereus pachanoi*)

Gennaro *et al.* 1996 determined that when using MeOH-25% NH_4OH (99:1) and 15 minutes of sonication, or the same using ultrapure water phosphate buffered at pH 4, extraction was essentially complete in one extraction

Synthesis

First synthesized and structure elucidated by Ernst Späth in 1919.

See Shulgin & Shulgin 1991

Kapadia & Fayez 1970 and 1973 present a very nice review of synthetic approaches to mescaline, analogs and other peyote alkaloids.

See also Patel's 1968 review and also a brief summary of commonly applied routes in Nieforth 1971.

Heffter & Capellman 1905 published an unsuccessful attempt at structural elucidation via synthesis.

Ernst Späth
(after Schultes & Hofmann 1980)

Synthesis of mescaline (or helpful intermediates);
A partial chronology
[ ] indicates an isolation rather than synthetic procedure

1919 Späth, Ernst (1919) *Monatshefte fuer Chemie* 40: 129-154; "Ueber die Anhalonium-Alkaloide."

1927 Kindler, K. (1927) *Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft* 265: 389-415. "Über neue und verbesserte Wege zum Aufbau von pharmakologisch wichtigen Aminen. I. "

1929 Jensch, H. (1929), *German Patent* 526,172, April 7, 1929; CA (1931) 25: 4284.

1930 Slotta, K.H. & H. Heller (1930) *Berichte der Deutschen Chemischen Gesellschaft* 63: 3029-3044. "Ueber β-phenyl-äthylamine. I. Mezcalin und mezcalin-ähnlicher Substanzen."

1930 Soc. Anon. pour l'ind. Chim. à Bâle (1930)a, *British Patent* 360,266, Jan. 8, 1930; [CA (1933) 27: 513.] [CA says patent was for 3,4,5-Trigthoxyphenethylamine.]

1930 Soc. Anon. pour l'ind. Chim. à Bâle (1930)b, *Swiss Patent* 147,949, Jan. 8, 1930; [CA (1932) 26: 2278.] [CA says patent was for 3,4,5-Trigthoxyphenethylamine.]

1930 Frisch, Hans & Edmund Waldman (1930), *German Patent.* 545,853 July 3, 1930; [CA (1932) 26: 3521.] [CA says patent was for α-(3,4,5-Trimethoxyphenyl)-β-aminoethane.]

1931 Frisch & Waldman (1931), *Austrian Patent* 125,694, July 15, 1931. [CA (1932) 26: 1302] [Patent was for mescaline]

1932 Kindler, K. & W. Peschke (1932) *Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft* 270: 410-413. "Über neue und über verbesserte Wege zum Aufbau von pharmakologisch wichtigen Aminen. Über den synthesen des Mescalins."

1932 Slotta, K.H. (1932) *Journal fuer Praktische Chemie* 133: 129-130. "Zur Gewinnung von 3,4,5-Trimethoxybenzaldehyd."

1933 Slotta, K.H. & G. Szyska (1933) *Journal fuer Praktische Chemie* 137: 339-350. "Ueber β-Phenyl-äthylamine. III. Eine neue Mezcalin-Synthese."

1934 Grace, G.S. (1934) *Journal of Pharmacology and Experimental Therapeutics* 50: 359-372. "The Action of Mescaline and Some Related Compounds."

1934 Hahn, G. & H. Wassmuth (1934) *Berichte der Deutschen Chemischen Gesellschaft* 67: 696-708. "Über β-(Oxyphenyl)-äthylamine und ihre Umwandlungen. I. Synthese des Mezcalins."

1934 Slotta, K.H. & G. Szyzka (1934) *Berichte der Deutschen Chemischen Gesellschaft* 67: 1106-1108. "Synthese des mescalins. (Eine Berichtigung der gleichlautenden Arbeit von G. Hahn und H. Wassmuth.)"

1934 Hahn, G. (1934) *Berichte der Deutschen Chemischen Gesellschaft* 67: 1210-1211. "Synthese des Mescalins. (Entgegnung auf die "Berichtigung" von K.H. Slotta u. G. Szyszka)."

1935 Späth, Ernst & Friedrich Becke (1935) *Monatshefte fuer Chemie* 66: 327-336. "Über die Tiennung der Anhaloniumbasen (Kakteen-Alkaloide XV.)"

1936 Jensch, H. (1936) *Medizin und Chemie* 3: 408-411. "Zur Synthese der Mezcalins."

1936 Reichert, Benno (1936) *German Patent* 629,313 April 30 1936; [CA (1936) 30: 4875]
(Did not synthesize mescaline but made 3,4,5-trimethoxynitrostyrene.)

1938 Hahn, G. & F. Rumpf (1938) *Berichte der Deutschen Chemischen Gesellschaft* 71: 2141-2153. "Über β-(Oxy-phenyl)-äthylamine und ihre Umwandlungen. V. Mitteil: Kondensation von Oxyphenyl-äthylaminen mit α-Ketosäuren."

1944 Raiford, L.Chas. & Donald E. Fox (1944) *Journal of Organic Chemistry* 9: 170-174. "Condensation of Vanillin Substitution Products With Nitromethane."

1950 Erne, M. & F. Ramirez (1950) *Helvetica Chimica Acta* 33: 912. "Über die Reduktion von β-Nitrostyrolen mit Lithiumaluminumhydrid."

1950 Ramirez, Fausto A. & Alfred Burger (1950) *Journal of the American Chemical Society* 72: 2781-2782. "The reduction of Phenolic β-Nitrostyrenes by Lithium Aluminum Hydride."

1951 Benington, Fred & Richard D. Morin (1951) *Journal of the American Chemical Society* 73: 1353. "An improved synthesis of mescaline."

1951 Dornow, Alfred & Günther Petsch (1951) *Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft* 284 (56)4: 160-163. "Notiz Darstellung des β-oxy β-(3,4,5-trimethoxy-phenyl)-äthylamins ("Oxymescalin"), de Bis-[β-(3,4,5-trimethoxy-phenyl)-äthylamins ("Dimezcalin") und des β-(3,4,5-trimethoxy-phenyl)-äthylamins ("Mezcalin")."

1951 Tsao, Makepeace U. (1951) *Journal of the American Chemical Society* 73: 5495-5496. "A new synthesis of Mescaline."

1952 Bahnholzer, K. *et al.* (1952) *Helvetica Chimica Acta* 35: 1577-1581: "Notiz uber eine Synthese von Mezcalin, N-Methyl und N-Dimethylmezcalin."

1952 Block, Wolfram & Katherina Block (1952) *Berichte der Deutschen Chemischen Gesellschaft* 85: 1009-1012. "Synthese von ^{14}C-radioaktivem Mescalin."

1952 Dornow, A. & G. Petsch (1952) *Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft* 285: 323-326. "Über die Darstellung des Oxymezcalins und Mezcalins. 2. Mitteilung."

1953 Gairaud, Catherine B. & Gerald R. Lappin (1953) *Journal of Organic Chemistry* 18: 1-3. "The Synthesis of ω-Nitrostyrenes."

1954 Benington, Fred *et al.* (1954) *Journal of the American Chemical Society* 76: 5555-5556. "Synthesis of 4-Hydroxy- and 4-Ethoxy-3,5-dimethoxy-β-phenethylamines."
(Includes synthesis for 3,4,5-Trimethoxybenzaldehyde.)

1955 Hadácek, J. *et al.* (1955) *Chemicke Listy*. 49: 271-?. "Synthesis of mescaline."

1958 Inubushi, Y. & K. Fujitani (1958) *J. Pharm. Soc. Japan* (*Yakugaku Zasshi*) 78: 486-?. "An unexpected reaction in a Pomeranz-Fritsch isoquinoline synthesis."

1962 Dyumaev, K.M. & I.S. Belostotskaya (1962) *Zhurnal Obschei Khimii* 32 (3): 2661-2663. [Also in (1962) *Journal of General Chemistry of USSR* (English translation) 32 (3): 2620-2622.] "Synthesis of trisubstituted phenethylamines by catalytic reduction of ω-nitrostyrenes."
Did not use for mescaline but it is directly applicable.

1964 Amos, D. (1964) *The Australasian Journal of Pharmacy* 45 (529) Suppl. 13: S8-S10. "The preparation of mescaline from eucalypt lignin."
Amos was at the time working for the Australian Defence Scientific Service, Department of Supply, Defence Standards Laboratories.[spelled 'defence' in article]

[**1964** Shulgin, A.T. & K.O. Kerlinger (1964) *Naturwissenschaften* 51 (15): 360-361. "Isolation of methoxyeugenol and trans-isoelemicin from oil of nutmeg."] (via fractional distillation.)
Fairly costly approach but a potentially useful precursor (and even more so for such analogs as TMA. **[Note 11]**) See Hahn & Wassmuth 1934 above. See PIHKAL page 863 for some other natural sources.

1966 Kametani, T. *et al.* (1966) *Yakugaku Zasshi* 86 (10): 913-918. "Selective demethylation of 3,4-dihydro-6,7,8-trimethoxyisoquinoline and modified total synthesis of anhalamine."
Also includes a synthesis of mescaline. (In Japanese.)

1966 Kubota, Seiju *et al.* (1966) *Journal of Organic Chemistry* 31: 516-520. "The structure and total synthesis of takatonine."
Did not synthesize mescaline but part of this is directly applicable.

1967 Rabusic, Emil & Miroslav Gregor (1967) *Spisy Prirodovedecke Fakulty University J.E. Purkkyne v. Brne*. 480: 85-?. [CA (1968) 68: 86944.] "Aminoalkylation of phenol ethers."

1968 Abdel-Rahman, M.O *et al.* (1968) *J. Chem. UAR* 11: 401-?. "An improved method for the synthesis of mescaline."

1968 Kapadia, Govind J. & Narenda J. Shah (1968) *115th Annual Meeting of the American Pharmaceutical Association (Miami Beach, Fla.)*: Abstract no. 16, p. 104-?. "Peyote alkaloids V. Preparation of mescaline and selective ether cleavage of pyrogallol trimethyl ethers with lithium aluminum hydride."

1979 Aboul-Enein, N. Nabil & Attait I. Eid (1979) *Acta Pharmaceutica Suecica* 16: 267-270. "A novel route for the synthesis of mescaline."

1985 Boutonette, J.-C. *et al*. (1985) *Journal of Organometallic Chemistry* 290: 153-164. "Structure Radiocristallographique du Veratrole-Chrome-Tricarbonyl; Etudes RMN 1H D'Arene-Chrome-Tricabonyles ortho-Disubstitues et Regioselectiveite de L'Addition d'un α-Cyano Carbanion."

2000 Rose-Munch, F. *et al*. (2000) *Inorganica Chimica Acta*, 300–302: 693-697. "Mescaline synthesis via tricarbonyl (η6-1,2,3-trimethoxybenzene)chromium complex." See also Gagliardini, V. *et al*. (1997) *Inorganica Chimica Acta*, 259: 265-271. "Chromium hydride intermediates in the case of cine and tele-meta nucleophilic aromatic substitution on arenetricarobonylchromium complexes."

Note: The methylation reaction used by several workers to produce 3,4,5-Trimethoxy benzaldehyde from Syringaldehyde can be found on page 619 in Blatt (ed.) 1943 "*Organic Synthesis. Collective Volume 2*."

Some of the many routes to syringaldehyde:

Allen, C.F.H. & G.W. Leubner (1951) *Organic Synthesis*, 31: 92. [from McIvor & Pepper 1953]

Bland, D.E. *et al.* (1950) *Australian Journal of Scientific Research* 3A: 642. "Extraction of syringaldehyde from *E. diversicolor*, *E. obliqua* or *Eucalyptus regnans* sawdust."
For extraction from Beechwood and lignin see Kratzel & Silbernagel (1955) *Mitt. österr. ges. Holzforsch.* 7: 71-78. [*CA* (1956) 50: 6040] See also Merck Index for synthetic routes.

McIvor, R.A. & J.M. Pepper (1953) *Canadian Journal of Chemistry,* 31: 476-483. "The Synthesis of Syringaldehyde from Vanillin."

Pearl, Irwin S. (1948) *Journal of the American Chemical Society*, 70 (5): 1746-1748. "Synthesis of Syringaldehyde."

Pepper, J.M. & J.A. MacDonald (1953) *Canadian Journal of Chemistry*, 31: 476-483. "The Synthesis of Syringaldehyde from Vanillin."

Wu, G. *et al*. (1994) *Industrial Engineering Chemical Research*, 33: 718-723. "Improved Alkaline Oxidation Process for the Production of Aldehydes (Vanillin and Syringaldehyde) from Steam-Explosion Hardwood Lignin."

Some of these works were brought to my attention thanks to Rhodium.

"Sasha" (Alexander T. Shulgin)
BPC seminar, Maui 1993

See also:

H.K. Iwamoto; *Thesis*, Graduate School of the University of Maryland, 1942-1944, 43 [Abstract] "The synthesis of analogs of mescaline." [From LaBarre 1975]

Robert E. Brown (1968) (1975-4th edition) *Guide to Preparation of the Eucharist. In a few of its many guises*.

Chewbacca Darth (1977) *The Whole Drug Manufacturers Catalog*

Uncle Fester (1997) *Practical LSD Manufacture* Revised and Expanded Second Edition [Nothing on mescaline *per se* but the novel electrochemical methods as applied for TMA-2 should be applicable for some of its analogs]

Uncle Fester (1991) *Secrets of Methamphetamine Manufacture* Second edition (3rd edition is said to be out but we have not seen it)

Uncle Fester (1998) *Advanced Techniques of Clandestine Psychedelic & Amphetamine Manufacture*. [Nothing specifically about mescaline in either amphetamine book but both contain much useful information directly applicable to both analogs and precursors and to drug manufacturing in general. Heavily recycled from the literature and loaded with untested conjecture. (Sound familiar?) His proposed electrochemical methods in *Advanced Techniques* needs some serious attention by professional chemists and more independent confirmation.]

Michael Valentine Smith (1981) *Psychedelic Chemistry*

Otto Snow (1998) *Amphetamine Syntheses. Overview & reference guide for professionals.* Does not cover mescaline but has some interesting and useful information on related synthetic routes, precursors, essential oils and analogs.

When the synthesis was finished and the solvents were removed, the residue was distilled at 120-130° C at 0.3 mm/Hg. The resulting white oil was dissolved in IPA (isopropyl alcohol), about 5 ml. per gram of mescaline, and neutralized with concentrated HCl. The white crystals which formed were diluted with diethylether (~2.5 to one with the alcohol), removed by filtration and air dried to yield glistening white crystals of mescaline hydrochloride. Shulgin & Shulgin 1991

Spectrophotometric data
Sunshine 1981 (for uv absorbance and fluorescence references.)
and Dessi & Franco 1949
and Dessi 1950)
and Salomon & Bina 1946

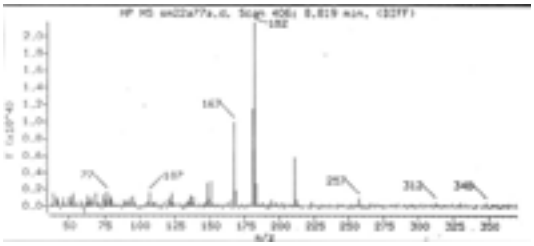
Spectrofluorometry
(In 0.1N H_2SO_4) Absorption maxima is at 268 mμ
(In 0.1N H_2SO_4) Excitation maxima is at 273 mμ
Two emission peaks, one at 320 mμ and a maximum at 357 mμ.
In absolute methanol only one peak was observed when excited at 273 mμ but there was two emission peaks if excited at 260 mμ
Absolute methanol considered optimum solvent

Solvent:	**a**	**b**	**c**	**d**	**e**	**f**
Emission Scan						
λ mμ ex	273	273	273	273	273	273 **260**
λ mμ emission peaks						
Major	358	358	356	357	312, 332,	315 **315** 356
Minor	320	314	314	317	–	– **356**
Excitation Scan						
λ mμ em	320	320	320	320	320	315
λ mμ ex	273	273	273	273	273	273
limits (ppm)	30	50	50	30	50	30

a: 0.1N H_2SO_4
b: 0.001N HCl
c: 0.1M phosphate buffer, pH 7
d: 1N NH_4OH
e: 1N NaOH
f: absolute Methanol
Gillespie 1969 (Free base prepared from the sulfate)

An absorption maximum of 420 mμ with an extinction coefficient of 0.028 ± 0.00077 was reported by Dessi 1950. [Mescaline base in Chloroform-Toluene (1:1)]
The maximum absorbance of mescaline [20 mg/ 100 ml of H_2O] occurs at approximately 268 nm. Nieforth 1971
λ_{max} of HCl 212, 226sh, 270 mμ. Speir *et al* 1970

Mass Spectra
See Bellman 1968: [m/e 44, 139, 151, 167, 182, 211]
m/z 211 (molecular ion), 182 (base peak), 167, 151. MFDS 2003
See also SWGDrug 2005



GC-MS of mescaline derived from *T. terscheckii* (courtesy of Shulgin)

IR, MS and NMR data : See Bellman *et al.* 1970 [According to *Chemical Abstracts* (1970) 73:75110[b]] & SWGDRUG 2005.

IR:
UN 1989 & MFDS 2003 give major peaks in IR as (cm^{-1}): 1591, 1513, 1245, 1130, 995, 835, 670
See also SWGDrug 2005.

GC and HPLC (with Dual wavelength UV-detection; retention data and UV absorption ratios):
Verpoorte & Svensen 1983; GC: pp. 175; HPLC: pp. 237-238.
See also UN 1989 & MFDS 2003 & SWGDrug 2005.

Capillary electrophoresis: See SWGDrug 2005

Biosynthesis of mescaline (discussions, studies and route proposals)

Agurell 1969a; Agurell & Lundström 1968; Agurell *et al.* 1967
Basmadjian & Paul 1971
Battersby *et al.* 1967
Bruhn & Lundström 1976a
Kapadia & Fayez 1970
Khanna *et al.* 1969
Leete 1959 & 1966
Lindgren *et al.* 1971
Lundström 1970, 1971a & 1971b
Lundström & Agurell 1968b, 1969, 1971 & 1972
McLaughlin & Paul 1967
Paul 1973; Paul *et al.* 1969a & 1969b
Reti 1950
Rosenberg *et al.* 1967 & 1969.
Rosenberg & Stohs 1974

Assays

(Most included by Usdin & Efron 1979)
(See also the material on the following pages.)
Bastos 1956
Clarke 1969 & 1986
Dessi 1950; Dessi & Franco 1949
Fernandez 1890
Kaláb 1956
Munier & Macheboeuf 1949; Musacchio & Goldstein 1967
Ranieri & McLaughlin 1975
Seiler & Wiechmann 1964
Vistoli 1955
Wanag & Dombrowski 1942
Woods *et al.* 1951

Wild *Trichocereus peruvianus* in habitat in Peru with a new pup being harvested
Photo by Anonymous

Some Rf values reported for Mescaline

(List is representative not comprehensive. All values are as experimentally reported. For details see elsewhere here or consult the listed reference.)

Solvent system [Adsorbant]	Rf (±SD)	(Reference)
Benzene-glacial Acetic acid-Water (2:1:1)	0.36	(9) [Whatman no. 1 paper]
n-Butanol-Acetic acid- Water (4:1:1)		
[Preparative tlc; 2.]	0.67 [0.65]	(3) [(2)]
Butanol-Acetic acid-Water (4:1:5)	0.71	(5) [No. 2 Whatman paper]
1-Butanol-Glacial Acetic acid-Water (4:1:5)	0.74	(9) [Whatman no. 1 paper]
1-Butanol-Glacial Acetic Acid-Water (4:1:5)	0.74 [0.64]	(10) [(2)] [On paper]
n-Butanol-*i*-Propanol-concentrated Ammonium hydroxide-Water (3:3:1:1)	0.84	(3) [Preparative tlc]
2-Butanone-N,N-Dimethylformamide-concentrated Ammonium hydroxide (13:9:0.1) .	0.55 ± 0.02	(8) [Silica Gel H at pH 9.2]
Chloroform-Acetic acid-Water (4:1:1)	0.90	(2)
(2:1:1)	0.71	(2) [Paper]
Chloroform-Butanol-conc. Ammonia (50:50:2.5)	0.46	(11) [Silica gel]
Chloroform-*p*-Dioxane-Acetone-Ammonium hydroxide (conc.) (45:4:47.5:2.5) (v/v)	0.39± 0.07	(12)
Chloroform-Ethanol-conc. NH_3 (85:15:0.4)	0.24	(7) [Silica Gel]
Chloroform-Diethyl ether-Methanol-25% Ammonia (75:25:5:1)	0.28	(14) [Silica gel]
Chloroform-Methanol (90:10)	0.10	(4) [Silica Gel G. Dipped in or sprayed with 0.1M Potassium hydroxide in Methanol and dried.]
Chloroform-Methanol-Acetic acid (75:20:5)	0.20 ± 0.02	(6) [Silica Gel G]
Chloroform-*n*-Butanol-conc. NH_3 (50:50:2.5)	0.31	(7) [Silica Gel]
Chloroform-Pyrimidine-Water (40:51:7)	0.56	(2) [Paper]
Cyclohexane-Toluene-Diethylamine (75:15:10)	0.04	(4) [Silica Gel G. Dipped in or sprayed with 0.1M Potassium hydroxide in Methanol and dried.]
p-Dioxane-Acetone -Ammonium hydroxide (conc.) (45:5.5:2.5) (v/v)	0.22 ±.03	(12) [Silica Gel G with fluorescence indicator]
Ethyl acetate-*n*-Propanol-28% Ammonium hydroxide (40:30:3).	0.27	(1) [Silica Gel]
Ethyl acetate-Pyridine-Water (2:1:1)	0.82	(2) [Paper]
Ethyl methyl ketone-Dimethylformamide-Ammonia (sp. gr. 0.90) (13:1.9:0.1)	0.49 ± 0.02	(6) [Silica Gel G]
Methanol-Ammonia (25%) (100: 1.5)	0.22	(13) [Kieselgel GF]
Methanol-Chloroform (1:1)	0.24 ± 0.02	(6) [Alumina G]
Methanol-concentrated Ammonium hydroxide (100:1.5)	0.20	(4) [Silica Gel G. Dipped in or sprayed with 0.1M Potassium hydroxide in Methanol and dried]
i-Propanol-aqueous Ammonia-Water (8:1:1)	0.76 [0.82]	(5) [(2)] [No. 2 Whatman paper]
2-Propanol-Ammonium hydroxide-Water (20:1:2)	0.92	(9) [Whatman no. 1 paper]
i-Propanol-Formic acid-Water (8:1 :1)	0.75	(3) [Preparative tlc]
Pyridine-conc. NH_3 (90:10)	0.36	(7) [Silica Gel]

References for Rf table:

1. Brown *et al.* 1972 *Journal of Chromatography* 64: 129-133.
2. Charalampous *et al.* 1964 *Journal of Pharmacology and Experimental Therapeutics* 145 (2): 242-246.
3. Charalampous *et al.* 1966 *Psychopharmacologia* 9: 48-63.
4. *Clarke's Isolation and Identification of Drugs in Pharmaceuticals, Body Fluids and Post-Mortem Materials*. Second Edition; 1986.
5. Fischer 1958 *Revue Canadienne de Biologie* 17 (3): 389-409.
6. Genest & Hughes 1968 *The Analyst* 93 (1109): 485-489.
7. Lundstrom & Agurell 1967 *Journal of Chromatography* 30 (1): 269-270.
8. McLaughlin & Paul 1966 *Lloydia* 29 (4): 315-327.
9. Neff *et al.* 1964 *Journal of Pharmacology and Experimental Therapeutics* 144 (1): 1-7.
10. Patel 1968 *Fortschritte der Arzneimittelforschung* 11: 11-47.
11. El-Seedi *et al*. (2005) *Journal of Ethnopharmacology* 101: 238-242.
12. Schnoll *et al.* 1972 *Journal of Psychedelic Drugs* 5 (1): 75-78.
13. Steinigen 1972 *Deutsche Apotheker-Zeitung* 112 (2): 51-55.
14. Van Welsum 1973 *Journal of Chromatography* 78: 237-240.

Note:
n-Butanol = 1-Butanol
i-Propanol = 2-Propanol = Isopropanol = Isopropyl alcohol (99% NOT 70%)

Some Color Reagents and Reactions Reported For Mescaline

(This is not even close to being a comprehensive listing. Many of the assays mentioned exist in multiple modifications & many colors below require visualization under UV. Please consult a good handbook on Chromatographic reagents for more procedural information. **For more assays or details; please consult our references.**

Reagent	Color	Reported application	Ref.
Ammonium molybdate	Green-blue	precipitate	(12)
Ammonium vanadate	Orange	precipitate	(12)
Bouchardt (Bouchardat)	Bluish (crystals - 19)	precipitate	(12, 19)
Buckingham	Green-yellow	precipitate	(12)
Chloranil	None	tlc reagent	(7)
Chloroauric acid ($HAuCl_4$)	Yellow	precipitate	(12)
Chloroauric acid ($HAuCl_4$)	Orange-yellow prisms	precipitate	(19)
Chloroplatinic acid (H_2PtCl_6)	Yellow (prisms - 19)	precipitate	(12, 19)
Chromic acid reagent (H_2CrO_4)	Grey	precipitate	(12)
Chromic acid reagent (modified)	Red	pure compound **(A)**	(1)
CNTNF	None	tlc reagent	(7)
Dansyl chloride	Aquamarine **(B)** (under UV)	tlc on silica gel G	(13)
Dansyl chloride	Yellow (under UV)	tlc on silica gel G	(13)
Dansyl chloride	Brown	tlc on silica gel G (pH 9.2)	(10)
O-Dianisidine reagent	Brown	tlc on silica gel G	(8)
Dragendorff	Red	precipitate	(12, 19)
Dragendorff	No reaction was observed by J. Appleseed using as spray in tlc		
Dragendorff spray	Positive	tlc reagent	(4)
Dragendorff	Brown	precipitate	(18)
Ehrlich's	No reaction	precipitate	(12)
Erdman	Deep red	precipitate	(12)
Erdman	No reaction in cold or RT; with heat violet changing to dirty blue	isolated alkaloid	(18)
Fluoranil	Purple	tlc reagent	(7, 15)
Fluorescamine	Yellow-green	tlc on silica gel G	(9)
Fluorescamine	Aquamarine	tlc on silica gel G	(13)
Fluorescamine	Bright yellow	tlc on silica gel G	(20)
FPN Reagent	Positive	tlc reagent	(4)
Froehde	Yellow-green	precipitate	(12)
Froehde	Violet	isolated alkaloid	(18)
Gibbs'	No reaction	precipitate	(12)
Gibbs	No reaction **(C)**	paper	(11)
Glycine-Formaldehyde	Dull yellow	spotted on paper	(2)
HNS	None	tlc reagent	(7)
Iodine vapor atmosphere	Positive	tlc on silica gel or alumina	(6)
Iodoplatinate (Acidified)	Positive	tlc reagent	(4)
Iodoplatinate (IPA)	Purple	tlc on silica gel G	(3, 14)
Iodoplatinate (IPA)	PurpleRed	tlc reagent	(16)
Iodoplatinate (IPA) **(D)**	Yellow-brown (visible)	tlc on silica gel G	(13)
Iron perchlorate	No reaction	isolated alkaloid/ precipitate	(18/19)
Jarowski	White	precipitate	(12)
Liebermann's Test	Black	pure compound	(4)
Mandelin	Green	precipitate	(12)
Marquis	Orange	precipitate	(12)
Marquis Reagent	Yellow	tlc reagent	(5)
Marquis Test	Orange	pure compound	(4)
Marquis reagent	Orange-yellow	isolated alkaloid	(18)
Mayer	White	precipitate	(12, 19)
Mayer	Creamy-white	precipitate	(18)
Millon	Yellow	precipitate	(12)
Ninhydrin	Purple	precipitate	(12)
Ninhydrin	Orange[? **(E)**]	tlc on silica gel G	(14)
Ninhydrin	Purple	paper	(5, 11)
Ninhydrin	Purple	tlc on silica gel G	(20)
Ninhydrin Spray	Positive	tlc reagent	(4)
Nitric acid (HNO_3) atmosphere	Orange	tlc on silica gel G	(14)
Nitric acid	Violet passing to brick-red	isolated alkaloid	(18)

Reagent (cont.)	**Color**	**Reported application**	**Ref.**
O-Dianisidine reagent	Brown	tlc on silica gel G	(8)
p-Dimethylaminobenzaldehyde	Negative	tlc reagent	(4)
Perchloric acid ($HClO_4$)	Colorless	precipitate	(12)
Phosphomolybdic acid	Yellowish-white	precipitate	(19)
Phosphoric acid (H_3PO_4)	White	precipitate	(12)
Phosphotungstic acid	Yellowish-white	precipitate	(19)
Picric acid	Yellow (fine needles - 19)	precipitate	(12, 19)
Picric acid	Yellowish-white	precipitate	(18)
Potassium dichromate	No reaction	isolated alkaloid	(18)
Scheibler	White	precipitate	(18)
Schlagdenhaufen	Green to gray to reddish	precipitate	(12)
Selenium dioxide	Orange	precipitate	(12)
Sodium acetate/ 2,6-Dibromo-*p*-benzoquinone-4-chlorimine/ Iodine assay **(F)**			
	Yellow	tlc reagent on silica or alumina	(6)
Sounnercheun	White	precipitate	(18)
Sulfuric acid	Yellow-brown; violet with heat	isolated alkaloid	(18)
Sulfuric acid-Ethanol	Orange	tlc reagent	(16)
TACOT	None	tlc reagent	(7)
Tannic acid	Brown	precipitate	(18)
Tanret	White	precipitate	(12)
TCBI	Pink-brown	tlc reagent.	(15, 17)
TCNE	None	tlc reagent	(7)
TetNF	None	tlc reagent	(7)
TNB	None	tlc reagent	(7)
TNF	None	tlc reagent	(7)
Vitali's	Violet turning brown	precipitate	(12)
Zinc chloride	White	precipitate	(18)

Comments:

A: Paper chromatography is recommended prior to application. (Applied while on paper.)

B: Oversprayed on Fluorescamine

C: Daly *et al.* 1962 reported a pale red color on paper (separating a mixture of reference compounds).

D: Oversprayed on Fluorescamine and Dansyl-Chloride

E: The orange developed due to prior exposure to a HNO_3 atmosphere. Ninhydrin, used as overspray, did not change the color.

F: Sodium acetate (10% aqueous), first lightly oversprayed with 2,6-Dibromo-*p*-benzoquinone-4-chlorimine and finally exposed to an Iodine vapor atmosphere.

References for Color reaction table:

1. Bastos 1956 *Bol. inst. quím agr (Rio de Janeiro*) 45: 7-16 [CA (1958) 52: 156[b]]
2. Bell & Somerville 1966 *Biochemical Journal* 98: 1C-3C.
3. Brown *et al.* 1972 *Journal of Chromatography* 64: 129-133.
4. *Clarke's Isolation and Identification of Drugs in Pharmaceuticals, Body Fluids and Post-Mortem Materials.*
5. Fischer 1958 *Revue Canadienne de Biologie* 17 (3): 389-409.
6. Genest & Hughes 1968 *The Analyst* 93 (1109): 485-489.
7. Heacock & Forrest 1973 *Journal of Chromatography* 78: 241-250.
8. Lundström & Agurell 1967 *Journal of Chromatography* 30 (1): 269-270.
9. Ma *et al.* 1986 *Journal of Natural Products* 49 (4): 735-737.
10. McLaughlin & Paul 1966 *Lloydia* 29 (4): 315-327.
11. Neff *et al.* 1964 *Journal of Pharmacology and Experimental Therapeutics* 144 (1): 1-7.
12. Patel 1968 *Fortschritte der Arzneimittelforschung* (*Progress in Drug Research*) 11: 11-47.
13. Ranieri & McLaughlin 1975a *Journal of Chromatography* 111: 234-237.
14. Schnoll *et al.* 1972 *Journal of Psychedelic Drugs* 5 (1): 75-78.
15. Svenson & Verpoorte 1983 *Chromatography of Alkaloids. Part A: thin-layer chromatography.*
16. Van Welsum 1973 *Journal of Chromatography* 78: 237-240.
17. Vinson & Hooyman 1975 *Journal of Chromatography* 105: 415-417.
18. Cruz Sanchez 1948 *PhD Thesis. Insituto de Farmacologia y Terapeutica Universidad Nacional Mayor de San Marcos*
19. Hobschette 1929 *Les Cactacées Médicinales*, p. 50.

Tarsitano 1945 reported that Bouchardat's method was about as sensitive as the Mayer reaction and less sensitive methods they also successfully used (in decreasing order of sensitivity) included: Dragendorff test, Picric acid test and $HgCl_2$ test. Hobschette 1929 reported precipitating white needles in bunches with the last reagent.

Steinigen 1972 used a 1% Potassium permanganate solution on Kieselgel GF.

Some color reactions of Mescaline

Fröhde's reagent
(As; 1 gram of Ammonium molybdate in 10 ml of concentrated sulfuric acid.)
Brown → Colorless
Citing Bamford 1951
(As "*A microdrop of the test solution is placed on an opal glass plate and a similar drop of 0.5% aqueous solution of Ammonium molybdate is added. After evaporation, a microdrop* [of] *concentrated Sulfuric acid is applied to the residue and the color changes noted*.") [p. 470.]
Green-blue
0.25 μg sensitivity.
Clarke 1957

Mandelin's reagent (Ammonium vanadate test)
(as "*A microdrop of the test solution is placed on an opal glass plate and a similar drop of 0.5% aqueous solution of* [Ammonium vanadate] *is added. After evaporation, a microdrop* [of] *concentrated Sulfuric acid is applied to the residue and the color changes noted*.")
Orange
0.25 μg sensitivity
Clarke 1957
(as 1 gram of Ammonium vanadate dissolved in 100 ml. of concentrated Sulfuric acid.)
Green → Violet → Gray
Citing Bamford 1951

Marquis reagent
("*Reagents vary in composition from 1-6 drops of formaldehyde (40%) in 3 ml of concentrated sulfuric acid*.")
[Clarke 1957 used one drop of formaldehyde. In Clarke's procedure, the residue resulting from evaporating a microdrop of the alkaloid solution was rubbed with a rod dipped in the reagent.]
Orange
0.1 μg sensitivity
Clarke 1957
(Below also used Marquis reagent but the source publication is unavailable to us, so we do not know the actual composition.)
Green → Dark brown → Brown violet cast (Brown, green cast)
Citing Umberger 1954

Mecke's reagent (Selenium Dioxide Test)
(as 0.5 grams of Selenious acid dissolved in 100 ml. of concentrated Sulfuric acid.)
Greenish-brown → Brown
Citing Bamford 1951
(same version of reagent as given above.)
Yellow changing very quickly to Green → Blue → Brown
Citing Umberger 1954
(as "*A microdrop of the test solution is placed on an opal glass plate and a similar drop of 0.5% aqueous solution of* [Selenious acid] *is added. After evaporation, a microdrop [of] concentrated Sulfuric acid is applied to the residue and the color changes noted*.)
Yellow → Brown
0.1μg sensitivity
Clarke 1957

Sulfuric acid
(Reagent grade concentrated sulfuric acid (98%, Spec. grav. 1.84)
Yellow → Brown → Greenish-brown → Olive green
citing Umberger 1954

Vitali's Test
(Residue from a microdrop of alkaloid solution is treated with fuming nitric acid and color observed; It is then evaporated to dryness and color again noted. Finally it is moistened with a drop of freshly prepared ethanolic Potassium hydroxide and the color again noted.)
Violet → Brown → Brown.
0.25 μg sensitivity.
Clarke 1957

A few microcrystalline reactions of Mescaline
(This is done using a hanging drop preparation and a microscope. See our references for details.)

Dragendorff's reagent (Potassium bismuth Iodide)
(5 grams Bismuth subnitrate and 25 grams of Potassium iodide in 100 ml of 2% H_2SO_4.) p. 535
Dense rosettes.
0.025 μg sensitivity
Clarke 1957

Gold Chloride
Rhombic prisms
SWGDrug 2005

Mercuric Chloride
Bunches of long needles
SWGDrug 2005

Picric acid
Very long rods
SWGDrug 2005

Wagner's reagent
Long, curved branching needles
SWGDrug 2005

Potassium Tri-iodide (Wagner's reagent, version 2)
AKA Lugol's reagent or Wagner's Bouchardat reagent
(Dissolve 1 gram of Iodine and 1.75 grams of Potassium iodide in 2 ml of water, and then add 98 ml of water.) [p. 543.]
Straight and/or curved rods in tufts and sheaths
citing Rosenthaler 1935

Styphnic acid
(5% solution.) [p. 537.]
Small needles
0.025 μg sensitivity.
Clarke & Williams 1955

From:
Farmilo & Genest 1961 (Includes many drawings of microcrystalline forms for comparison.)
Clarke 1957
Clarke & Williams 1955
SWGDrug 2005

Some references for microcrystalline & color reactions

Bolland 1911
Clarke 1957
Farmillo & Genest 1961
Herrero-Ducloux 1931 & 1943
Patel 1968
Rosenthaler 1931

While microchemical and chromophoretic testing of nonchromatographed materials have been largely abandoned, they can provide a far more rapid & definitive **preliminary** identification than either UV spectrophotometry or tlc.

(Chromophoretic testing is often extremely valuable and is frequently used in combination with paper or thin-layer chromatography)

Both UV spectra and tlc can rapidly tell you what something is not but provide no real proof of what something is. Many things have similar spectra and Rf values. Both are suitable for preliminary work and are extremely valuable analytical tools.

(tlc has many distinct advantages in that it is extremely sensitive for detecting trace amounts and, if known reference samples are available, it can also be used for rough quantitative assays. Shared Rf values can be largely overcome by use of multiple developing systems, if one has access to a wide range of solvents, many of which are now controlled and restricted materials.)

Microchemical and color tests suffer the same limitations of possibly having shared reactions with other substances and in general are far less sensitive than tlc (i.e. they require larger sample sizes)

However, when the reactions of several different reagents are observed this first problem can be largely offset (and very few of the reagents are restricted)

It is also both simpler and (usually) far more rapid to evaluate a given compound with multiple reagents than it is to evaluate it in numerous tlc developing systems.

The second 'problem' of less sensitivity is not particularly important if screening for active plants. Exceedingly trace amounts, readily observable in plants when using tlc, are not likely to indicate species with useable levels of the targeted alkaloids.

Microcrystalline assays may not be as precisely definitive as the high tech work-ups now used to actually determine and prove structures but they are rapid, far less costly when equipment is considered and are very suited for work in areas where a fully equipped lab may not be available (They require only a microscope, peripherals and reagents).

They can not replace the more rigorous analytical procedures but they are still deserving of attention. Especially if used for alkaloid-specific field screenings to determine plants in greater need of more thorough investigation.

Another less obvious advantage is that, unlike most other analytical methods, including tlc, they do not require possession of reference material which may be misunderstood by legal authorities and might easily result in criminal charges as a controlled substance.

It is, however, strongly recommended that a person first familiarize themselves with the series of reactions and reagents they choose, using known and pure compounds, prior to embarking on an analytical foray into the unknown. While many beautiful compendiums of characteristic shapes and formations exist, nothing can compare with experience with the real thing.

Reviews

Patel 1968
Kapadia & Fayez 1970

There really isn't anything recent that I am aware of. concerning this subject

Mescaline Endnotes

Note 1: Sax & Lewis 1989 include as a synonym. This is the only source encountered that listed this term. It may be a typo.

Note 2: View use of "*M*" with caution as some workers now apply this to MDMA. Be aware also that Ott uses it for 5-MeO-DMT. Beans, Big chief, Blue caps, Buttons, Cactus, Cactus buttons, Cactus head, Cactus joint, Chief, Indians, Mesc, Mescal, Mese, Mezc, Moon, Peyote, Topi appear listed as slang street names for mescaline but it might be questioned how widely it has ever been known as as street drug under these names. CESAR 2006 & Indiana Prevention Resource Center 2008

Note 3: This apparently is not synonymous with *Excerpta Medica*, Section VIII which does not list it nor is it divided into "subsections". *Excerpta Medica*, Section VIII is a nice collection of abstracts from medical journal articles, many foreign and obscure.

It also is apparently not in reference to *Excerpta Medica* Volume VIII, which focuses on pulmonary diseases.

We are currently unable to confirm this reference.

Note 4: Their citation, Hahn & Hansel (1938) *Ber*. 71: 2192, itself is in error. [actual pp. 2183-2191. "Synthese von 1-Alkyl-isochinolen und 1.1'-Polymethylen-di-isochinolen." This article discusses the use of 3,4-dimethoxyphenethylamine as starting material for isoquinoline synthesis. Pages 2192+ is an article on β-carbolines.

Note 5: Dornow & Petsch 1951 reported the HCl as 184° and the HCl of β-Hydroxymescaline at 189-192°.

Note 6: Anderson notes that it was "*found by British scientists at the University of Aberdeen*" to be a potent inhibitor of spindle apparatus formation in cell mitosis. Mescaline was found to have activity similar to colcemid and colchicine and to be less toxic (Done *in vitro* using human skin fibroblasts)

Harrison *et al.* 1976 actually recommended that mescaline be used in cytogenetic work as a mitotic inhibitor due to the facts that at the higher of the concentrations they evaluated it did not exhibit the same signs of toxicity encountered with colcemid (as judged by detachment) and it was 1000 times less expensive to purchase. Mescaline is similar to a portion of the molecular structure shared by colchicine and colcemid.

They were using ranges of 0.004 to 4 mg per ml. A curious feature was that at 0.004 mg/ml mescaline was nearly equal in activity and at 0.25 mg/ml it was sslightly more active than colcemid, while at intermediate and higher values it was somewhat less active. They found its activity to reach a peak at 4 hours followed by a plateau of only moderate inhibition.

The effects of peyote on human cells has been examined, and determined in humans (Huichols) **not** to cause chromosomal abnormalities. Dorrance *et al.* 1975 studied an isolated community of Huichols who it was believed had been using peyote as a social group for 1600 years. They found no significant difference between life long users of peyote and the controls nor between the Huichols used as controls and non-drug using whites which had been examined in earlier studies. The average peyote user used peyote up to 16 times a year (a maximum of 35).

Their observations are highly significant as no significant chromosomal abnormalities were noted in spite of the fact that peyote was **routinely** used by both pregnant women and by children from 6 years of age and older. (They noted that the time of maximum peyote ingestion in this community occurred in early May, the time when they did their study.)

Regardless of this, it is probably best to err on the side of caution and avoid mescaline during pregnancy as it has been reported by Åström & Samelius 1957 to cause vasoconstriction of the umbilical vessels of the human placenta.

Similarly *in vitro* studies by Clemente & Lynch 1968 showed that it caused contractions of human placental umbilical vessels that were similar to serotonin. [Ed. True, in large enough doses. See Åström & Samelius 1957.]

While, as usual, their concentrations of mescaline were far greater than would be experienced in these tissues *in vivo*, **any and all drugs**, except possibly oxiracetam, should be avoided during pregnancy.

[The jury is still out on oxiracetam. There is some evidence in animals that intelligence and learning ability *may* be enhanced by prenatal exposure to oxiracetam, but it is still much too early to know how and if this applies to humans, and if so, how far. See: Ammassari *et al.* 1986 and 1988.]

Abnormalities reported in South American hallucinogenic drug users also bear closer scrutiny. Dorrance mentions two reports by Bloom *et al.* . i.e. 1970 and 1973.

The significance of their findings is not known to us. We have been unable to obtain a copy of the second journal. We find it curious that the first was cited in this regard by Dorrance.

Bloom *et al.* 1970 is an interesting report of an exceedingly high incidence of chromosomal abnormalities found in a Yanomamo group which was evaluated. [Their rates of abnormalities exceed even those of Hiroshima survivors. It is **not** known whether there is a higher rate of birth defects and this would be difficult to ascertain. Yanomamo have strict taboos against discussing or even mentioning the dead, if they are related, would almost certainly kill any infant with obvious birth defects and regularly practice female infanticide of healthy babies when sons are not born.]

While the group is known to use hallucinogenic snuffs, such use is restricted to adult male members. Chromosomal abnormalities ***showed no age or sex correlations indicating the drugs were probably not the causative agent***.

[Multiple breaks and rearrangements, (in at least one cell out of the hundred cells per person they scored), were observed in 13 of the 49 people sampled.]

If the later study indicated differently we would hope that they conducted similar screenings with groups of other known snuff users. The Yanomamo are known to be genetically distinct from all other South American indigenous tribes.

It seems sometimes that intense efforts have been made to 'prove' a link between hallucinogens and chromosomal abnormalities. We suspect this is due to the emotional impact (fear value) which such findings would have for propaganda applications. (It certainly would assure the party which offered supportive evidence continued funding and support.)

The facts do not support this and yet it is brought back up regularly.

The controversy surrounding LSD is a good example. Most modern researchers are convinced that LSD does not cause birth defects. [Research to the contrary invariably shows both poor methodology, lack of appropriate control and/or unrealistic models.] More pointedly, even in clinically followed cases where women took pure LSD-25 DURING pregnancy, sometimes repeatedly, some using very large doses, there have been absolutely **no** observable incidences of birth defects or abnormalities. [The half dozen cases claimed to be due to LSD, all involved impure material and a direct causative link never proven.]

[This stands in marked contrast to the known increase of birth defect incidence which **has** been proven to be caused by alcohol use, either during early fetal development or during the act of conception itself, whether the said was by the male or the female genetic contributor. Alcohol is claimed to be the number one cause of birth defects]

In spite of this, unlike alcohol, this is ***STILL*** being presented in both federal and local anti-drug literature and drug education programs as a danger from the use of LSD (no doubt due to its propaganda potential because of strong emotional impact). I know one couple who decided never to have children solely because they had *tried* LSD some twenty years ago.

Note 7: If the lowest testing San Pedros reported were used it would require 6 times this much. Published recoveries range from 0.02% to 0.12% (fresh) and 0.331-2.0% (dry). For a 400 mg equivalency, this represents a range from 2 kg to 333 grams (fresh weight) or 121 grams to 20 grams (dry weight). Usually somewhere in between a pound and a kilo of fresh material will produce results approximating a 400 mg dosage of pure mescaline; if using adult commercial plants. It is not uncommon for horticultural specimens to require even more. Only trial and error (or quantitative analysis) can determine an appropriate dosage for a given lot of material. I should add that estimated concentrations in some cultivars have been even weaker than just indicated.

Note 8: Calculated as the maximum concentration in the body of a 70 kg human ingesting 500 mg. and finding the mescaline evenly distributed throughout their body. (Not a realistic model but a useful one which allows workable comparisons of the strength relative to the other hallucinogens.)

Note 9: In Stevenson & Sanchez 1957, the onset and duration of mescaline were summarized as: "*With oral administration there is a period of gradual onset lasting usually an hour to an hour and a half. There is then a period of maximal effects lasting an hour to an hour and a half. Following this the effects decline at first rather rapidly, but then much more slowly. This last period of some residual effects may last from 6 to 12 hours. Several of our subjects noticed some (usually minor) effects for several days afterwards.*"

I must comment that on some occasions (the minor case), mescaline's full onset has taken nearly three hours; the time course normally experienced with peyote and San Pedro.

Note 10: This was the world's first pure mescaline experience and the first recorded trip using a pure entheogenic substance. Heffter named the compound Mezcalin (mescaline). [From Ott 1993.]

Note 11: As an example: (from Shulgin 1964) Trans-Isomyristicin (obtained from Myristicin by heating in Potassium iodide) was converted to β-Nitroisomyristicin with Tetranitromethane. The nitropropane resulting from this reaction was hydrogenated (reduced) by $LiAlH_4$ [many other methods of reduction exist] to yield MMDA, which was isolated as its hydrochloride. Use of elemicin in this reaction would have yielded TMA.

The reported occurrences of mescaline

***Aztekium ritteri* (Bödeker) Bödeker**
0.0009% by fresh wt.:
Starha *et al.* 1994

Carnegiea gigantea appears as an **error** in the literature.
It **has never** been found to contain mescaline.
The claim is not supported by any of the references that have been cited. [i.e. Agurell 1969b, Kapadia & Fayez 1970 *J. Pharm Sci.* 58:1158, and Mata & McLaughlin 1976 *Lloydia* 39(6):461.] A number of analysis have been published. None have reported mescaline.

Cereus acranthus (K.Schumann) Vaupel [*Haageocereus* (*Weberbauerocereus*)]

Cereus macrostibas (K.Schumann) Berger [*Neoaraimondia*]

Cereus peruvianus Haworth

Cereus sp. Miller
Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but no reference was cited and he does not include anything to support his assertion. All of them appear to be erroneous.
Cereus peruvianus is a misidentification dating at least as far back as Rouhier 1927. The accompanying quote from Cobo concerning "huachuma", on page 90, shows it is unmistakeably in reference to San Pedro.
It may be important to recall the fat pachanoids mislabeled as *Cereus* or *Cereus peruvianus*.

Cephalocereus melanostele Vaupel

Cephalocereus sp. (?) Pfeiffer
Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cites no reference and does not include anything to support his assertion. Both seem probable to be an error.

Coryphantha macromeris is an erroneous listing. It is confusedly included with the "*several South American cactus species contain mescaline*" in Barceloux 2008

Coryphantha palmeri Britton & Rose &
Coryphantha radians (DeCandolle) Britton & Rose
Trace amounts of mescaline are seemingly implied to have been detected in these two species but the wording of the account is unclear and does not specifically state it.
Gennaro *et al.* 1996

***Coryphantha scolymoides* (Scheidweiler) A. Berger [excluded]**
Traces of mescaline detected (between 4-12 μg/gm fresh):
Gennaro *et al.* 1996. See page 3.

Epiphyllum sp.
Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cites no reference and does not include anything to support his assertion. This seems probable to be an error.

***Gymnocactus beguinii* (F.A.C.Weber ex K.Schumann) Backeberg**
Traces detected (between 4-12 μg/gm fresh weight):
Gennaro *et al.* 1996

***Gymnocalycium achirasense* Till & Schatzl**
0.00007% [± 0.00001] by fresh wt.:
Starha *et al.* 1998

***Gymnocalycium asterium* Ito**
0.00013% [± 0.00002] by fresh weight: Starha *et al.* 1998

***Gymnocalycium baldianum* (Spegazzini) Spegazzini**
Less than 0.0001% fresh weight: Starha 1996

***Gymnocalycium calochlorum* (Bödeker) Y. Ito**
Between 0.0001% and 0.001% by fresh weight: Starha 1996

***Gymnocalycium denudatum* (Link &Otto) Pfeiffer**
Trace: Starha *et al.* 1998

***Gymnocalycium fleischerianum* Backeberg**
0.0001-0.001% dry wt.: Starha 2001c
This reference did not include a citation for this information. (Also note that *G. fleischerianum* is included only in the table on p. 91 and not in the 'by species' breakdown that was presented earlier in the same work)

***Gymnocalycium gibbosum* (Haworth) Pfeiffer**
Unquantified and tentatively identified. Colorless birefringent crystals, *n* 1.544, mp 160-162° were claimed to show the "*reactions of mescaline*". Herrero-Ducloux 1930b. **Not** observed by Starha *et al.* 1997.

***Gymnocalycium leeanum* (Hooker) Britton & Rose**
Unconfirmed: Herrero-Ducloux 1930b .
Not observed by DeVries *et al.* 1971

***Gymnocalycium mesopotamicum* Kiessling**
Trace: Starha *et al.* 1998 (% by fresh weight)

***Gymnocalycium monvillei* (Lemaire) Britton & Rose**
Less than 0.0001%: Starha *et al.* 1997 (% by fresh weight)

***Gymnocalycium moserianum* Schutz**
0.00007% [± 0.00001]: Starha *et al.* 1998 (% by fresh weight)

Gymnocalycium multiflorum appears in some cactus alkaloid listings. Herrero-Ducloux reported isolating an unidentified alkaloid with reactions similar to mescaline. It was not actually stated to be mescaline.

***Gymnocalycium netrelianum* Britton & Rose**
Between 0.0001-0.001%: Starha 1995a (% by fresh weight)

***Gymnocalycium nigriareolatum* Backeberg**
0.00006% [± 0.00002]: Starha *et al.* 1998 (% by fresh weight)

***Gymnocalycium oenanthemum* Backeberg**
Less than 0.0001%: Starha *et al.* 1997 (% by fresh weight)

***Gymnocalycium paraguayense* Schutz**
0.00011% [± 0.00006]: Starha *et al.* 1998 (% by fresh weight)

***Gymnocalycium quehlianum* (Haage) Berger**
Less than 0.0001%: Starha *et al.* 1997 (% by fresh wt.)

***Gymnocalycium ragonesii* Castellano**
Trace: Starha *et al.* 1998 (fresh material)

***Gymnocalycium riograndense* Cardenas**
Between 0.0001-0.001% by fresh wt.: Starha 1995a

***Gymnocalycium riojense* Fric ex H.Till & W.Till**
0.00001-0.0001% dry wt.: Starha 2001c cited Starha 2001a
Less than 0.0001% fresh wt.: Štarha 2002

***Gymnocalycium riojense* Fric ex H.Till & W.Till ssp. *kozelskyanum* Schütz ex H.Till & W.Till**
Less than 0.0001% fresh wt.: Štarha 2002

***Gymnocalycium riojense* Fric ex H.Till & W.Till ssp. *paucispinum* Backeberg ex H.Till & W.Till**
Less than 0.0001% fresh wt.: Štarha 2002

***Gymnocalycium stellatum* Spegazzini**
Less than 0.0001% by fresh wt.: Starha *et al.* 1997

***Gymnocalycium striglianum* Jeggle**
"readily apparent" around 0.001% by fresh wt.: Starha 1995a

***Gymnocalycium triacanthum* Backeberg**
Trace: Starha *et al.* 1998

***Gymnocalycium uebelmannianum* Rausch**
Between 0.0001% and 0.001% fresh wt.: Starha *et al.* 1997

***Gymnocalycium valnicekianum* Jajó**
Less than 0.001% by fresh wt.: Starha 1995a

***Gymnocalycium vatteri* Buining**
Between 0.0001% and 0.001% by fresh weight.: Starha 1996

***Islaya minor* Backeberg**
0.0017% in dry plant: Doetsch *et al.* 1980

***Lophophora diffusa* (Croizat) H. Bravo [Note 1]**
Traces (tlc by Todd 1969).
Minor base (pellotine was major): Habermann 1977, 1978a & 1978b (from Anderson 1980 & Starha *nd*);
0.018% (± 0.012): Habermann 1978a (from Starha 1997);
1.2% of total alkaloid: Starha 1997;
0.003% by dry weight (isolated): Siniscalco 1983 (misnomered as *L. echinata*)

Not observed by all workers; such as Bruhn & Holmstedt 1974.

Lophophora diffusa* var. *koehresii

1.32% [± 0.35] of the total alkaloid content (Total alkaloid concentration not included: Štarha & Kuchyna 1996;
1.3% of total alkaloid: Štarha 1997

***Lophophora fricii* Habermann**

Minor base. Habermann 1978a (From Starha *n.d.*); Anderson 1980 cited Habermann 1977 & Habermann 1978a;
0.014% (± 0.009): from Štarha 1997 citing Habermann 1978a;
0.9% & 1.1% of total alkaloid: Štarha 1997.

***Lophophora jourdaniana* Habermann**

Major base. Habermann 1978a (From Starha *n.d.*): Anderson 1980 cited Habermann 1977 & 1978a;
0.690% (± 0.105): Štarha 1997 cited Habermann 1978a;
31% of total alkaloid: Starha 1997 **[Note 2]**

***Lophophora* sp. var. Viesca, Mex.** [=nonmontane form of *L. fricii*]

1.01% [± 0.25] of total alkaloid content: Štarha & Kuchyňa 1996;
1.0% of total alkaloid: Štarha 1997

***Lophophora williamsii* (Lemaire) Coulter**

Highly variable amounts. (0.1-)0.9-6.3 % reported (by dry wt.) (Anonymous 1959, Heffter 1896a, Lundström 1971b, Martin & Alexander 1968 & Siniscalco 1983) Anderson 1980 cited Kelsey 1959 (0.9%), Bergman 1971 (1.5%), Fischer 1958 (3%), Heffter 1896a (4.6-5.6 %[-6.3%]) [All dry wt.]

2.4-2.7 % dry (~400 mg. per 16 grams of dried cactus) Ott 1993 citing Bruhn & Holmstedt 1974 and Lundström 1971b.

[Crosby & McLaughlin 1973 stated peyote can reach 6% but rarely exceeds 1% (dry wt.)]

(Todd 1969 presented an interesting tlc estimate of several distinct populations)

Siniscalco 1983 reported the isolation of 0.10%, 0.93% and up to 2.74% dry weight (first value well irrigated; last value after 6 months of dry conditions). [Plants cultivated in Italy]

Gennaro *et al.* 1996 reported 0.255% by fresh weight (2.55 mg/gm fresh: average of two specimens; estimated using HPLC) and an average of 1.75% by dry weight. [Also cultivated in Italy]

Averaging 0.2 % in fresh plants harvested in Texas (According to friends with extraction experience.)

75-125 mg of HCl was recovered from 70-140 gm plants greenhouse grown in northern Europe. Lundström & Agurell 1971 (This approaches 0.1% by fresh weight)]

Average concentrations in the wild peyote populations growing in the Texas Peyote Gardens are decreasing yearly due to poor harvest practices by duly licenced peyoteros. **[Note 3**]

Starr Co.: 2.77%; Jim Hogg Co: 3.2%; Val Verde Co: 3.5%; Presidio Co: 3.52%. (Averaged % by dry weight.) Hulsey et al. 2011.

3.80% mature crowns, 2.01% small regrowth crowns. (Jim Hogg Co. - Averaged % by dry weight.) Kalam et al. 2012 & 2013.

Melocactus peruvianus Vaupel

Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cites no reference and does not include anything to support his assertion. This seems probable to be in error.

Myrtillocactus geometrizans (von Martius) Console appears in the literature **erroneously**.

Mescaline is not normally present.

0.3% dry wt. was isolated only from plants previously used as stocks for grafting *Lophophora williamsii.* Siniscalco appears to state that mescaline was found in one control but contradicts this in his experimental account. Siniscalco 1983.

This is in interesting contrast to Pummangura *et al.* 1982 who reported a lack of transmigration of mescaline into *Trichocereus spachianus* that had been grafted with *T. pachanoi* (regardless of which was used as stock or scion).

Neoraimondia macrostibas

Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cites no reference and does not include anything to support his assertion. This is probable to be in error.

***Opuntia acanthocarpa* Engelmann & Bigelow**

0.01% (dry weight): Ma *et al.* 1986 (tlc, ms-ms)

***Opuntia basilaris* Engelmann & Bigelow**

tlc did not indicate the presence of any alkaloids; but:
tandem mass spectrometry detected mescaline at 0.01% (dry weight): Ma *et al.* 1986

Opuntia cylindrica Lamarck

The following reports of mescaline from this species were in error: Coch Frugoni 1958, Cruz Sánchez 1948b, Gutiérrez-Noriega & Cruz Sánchez 1947, Marini-Bettòlo & Coch Frugoni 1956, Marini-Bettòlo & Coch Frugoni 1958 and Turner & Heyman 1960. All were apparently based on misidentified plants. (In those cases where it **can** be identified, this was actually *Trichocereus pachanoi.*We suspect a mistake made in the Lima Botanical Garden was the source of the confusion.)

Authenticated *Opuntia cylindrica* was determined tocontain no measurable alkaloid in Agurell 1969b.

***Opuntia echinocarpa* Engelmann & Bigelow**

tlc indicated less than 0.01%; tandem ms estimated 0.01% (dry wt.). Ma *et al.* 1986

***Opuntia ficus-indica* (Linnaeus) Miller**

No quantification **[Note 4]** El-Moghazy *et al.* 1982

***Opuntia imbricata* Haworth**

Detected by tlc and ms. Never quantified. Meyer *et al.* 1980

Opuntia pachiypus (K. Schumann) **[sic]**

Opuntia sp.

Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cites no reference and does not include anything to support his assertion. Both seem probable to be errors.

***Opuntia spinosior* (Engelmann) Toumey**

0.00004% (dry weight): Pardanani *et al.* 1978 [1.2 mg isolated from 3.15 kg. dry plants]

Initially detected by Kruger *et al.* 1977

***Pelecyphora aselliformis* Ehrenberg**

Less than 0.00002% by dry wt.: Neal *et al.* 1972 [Less than 1.1 mg. from 5.5 kg. of dry plants]

0.003% by dry weight was isolated. Siniscalco 1983

Less than 0.0001% by fresh wt.: Štarha *et al.* 1994

Bruhn & Bruhn 1973 were unable to confirm.

Also not reported by Agurell *et al.* 1971b

***Pereskia corrugata* Cutak**

0.0005% by dry wt.: Doetsch *et al.* 1980

***Pereskia tampicana* Weber**

0.0013% (dry weight): Doetsch *et al.* 1980

***Pereskiopsis scandens* Britton & Rose**

0.0022% (dry weight): Doetsch *et al.* 1980

Polaskia sp. (%?) See note under Ma *et al.* 1986.

***Polaskia chende* (Gosselin) Gibson & Horak**

Less than 0.01% (dry wt.) by tlc; tandem MS estimate was 0.01%: Ma *et al.* 1986

Pterocereus sp. (%?) See note under Ma *et al.* 1986.

***Pterocereus* (?) *gaumeri* (Britton & Rose) MacDougall & Miranda**

Less than 0.01% (tlc and tandem ms) Ma *et al.* 1986

Stenocereus sp. (%?) See note under Ma *et al.* 1986.

***Stenocereus beneckei* (Ehrenberg) Buxbaum**

Less than 0.01% (tlc and ms-ms) Ma *et al.* 1986

***Stenocereus eruca* (Brandegee) Gibson & Horak**

Less than 0.01% (dry weight) by tlc but not observed using ms-ms. Ma *et al.* 1986

***Stenocereus stellatus* (Pfeiffer) Riccobono**

0.01% (dry weight) (tlc and ms-ms). Ma *et al.* 1986

***Stenocereus treleasei* (Britton & Rose) Backeberg**

0.01% (dry weight) (tlc and ms-ms) Ma *et al.* 1986

***Stetsonia coryne* (Salm-Dyck) Britton & Rose**

0.1-1.0 mg. per 100 grams of fresh material.
Agurell *et al.* 1971b

***Trichocereus bridgesii* (Salm-Dyck) Britton & Rose**

Over 25 mg. per 100 grams (fresh plant) Agurell 1969b (European commercial nursery stock)

0.56% (dry green outer tissues) Serrano 2008 (Wild harvested; La Paz, Bolivia)

0.18% (dry outer green tissues) Ogunbedede 2009 (Bob Gillette commercial nursery stock in California)

All forms said active in bioassays: Conversations with friends, Davis 1983 & also 1998 *Entheogen Review* [7 (3): 70-71.]

This species is extremely variable in appearance and also appears to be highly variable in potency & palatability. In general it is a better choice for intensive propagation than are most *pachanoi* or *peruvianus*

Mescaline estimates based on isolations that have been posted online by anonymous sources in Oz have been largely in the 0.12% to 0.23% range with an occasional report as high as 2%.

The monstrose forms of *T. bridgesii* have been purported to be especially active in human bioassays.

Ogunbedede 2010 analyzed the short jointed monstrose form and determined it to contain 0.48% in the dried outer green tissues. An online account in Oz claimed they had a 1.2% recovery.

Isolation recovery values of 0.7 % & 1.16% have been posted online by people in Oz. (Anonymous)

Trichocereus cephalomacrostibas was asserted to contain mescaline in Caycho Jimenez but without including a reference. This needs an evaluation.

Trichocereus cordobensis appears listed online as a mescaline cotnaining species. It does not appear to be a good name and its point of irigin (NMCR) has no memory of it. It is bridgesioid/scopulicoloid in appearance and said to be from Bolivia so it should not be any surprise if it was potent..

***Trichocereus cuzcoensis* Britton & Rose**

0.5-5 mg. per 100 grams of fresh plant. Agurell *et al.* 1971b (German seedgrown nursery stock)

[Also reported as identified in Lindgren *et al.* 1971.]

0.0% Cotaruse, Arequipa
0.0% Huaytampo, Cuzco
0.0% Huacarpay, Cuzco
0.0% Capacmarca, Cuzco
Serrano 2008 (All were wild collections)

Positive & negative bioassay accounts exist for this species. The latter is by far the most numerous and is consistently reported by bioassaying travellers visiting the Cuzco area.

See also *T. schoenii* which was recently lumped into *T. cuzcoensis*.

***Trichocereus fulvilanus* Ritter**

Traces (fresh wt.) Agurell *et al.* 1971b

This species is now considered synonymous with *Trichocereus deserticolus*.

***Trichocereus macrogonus* (Salm-Dyck) Riccobono**

5-25 mg. per 100 grams of fresh plant. Agurell 1969b

Human bioassays indicate that this value might be low. Conversations with friends & 1998 *Entheogen Review* 7 (3): 71.

Species is variable in appearance and is also reported to be highly variable in potency, palatability and sliminess.

***Trichocereus pachanoi* Britton & Rose**

Over 25 mg. per 100 grams of fresh plant. Agurell 1969b

(0.00-) 0.025%+ [Agurell 1969b] to 0.12% [Poisson 1960] reported in fresh plant material. [See also Agurell 1969a (0.04% fresh/ ~ 0.67% dry).]

Recoveries from 0.331% [Crosby & McLaughlin 1973] up to 2.0 % [Poisson 1960] have been reported from dry plants. [See also Turner & Heyman 1960 who reported 0.9% by dry weight in misidentified plants.]

0.109-2.375% dry wt. was estimated (in Swiss material) using HPLC with photometric detection. Helmlin & Brenneisen 1992 [Nearly 23X from max to min]

0.310% by fresh weight estimated (in Italian material) using HPLC (3.10 mg/gm: average of three specimens) [Average 2.06% dry weight] Gennaro *et al.* 1996

A gc estimate of 0.155% free base by dry wt. was made on a non-grafted control vs. 0.15% ten months after being used for grafting (with the mescaline-free *T. spachianus*). Initially obtained as 2" by 12" plants. Pummangura *et al.* 1982

Gonzalez Huerta 1960 recovered 4.5% as a crude mescaline salt from correctly identified plants; using only the chlorophyllaceous outer layer.

She commented on being able to obtain this yield only when using the approach of Folkers & Koniuszy 1939 rather than that described in Cruz Sanchez 1948.

Cruz Sanchez 1948 reported recovering 5% dry wt; using only the outer layer of flesh. Odunbodede 2010 had similar results reporting 4.7% from the outer flesh of a Peruvian *pachanoi*.

[Alkaloid values are often very low in many cultivated plants but the controlling factors are not clear. Species appears highly variable in potency & palatability.]

Specimens not obviously being cultivated:

0.00% Cataratas, Otuzco, La Libertad
0.00% El Alisal, San Marcos, Cajamarca
0.45% KunturWasi, San Pablo, Cajamarca
1.14% Laquipampa, Ferreñafe, Lambayeque
0.23% Moyán, San Vincente, Lambayeque
0.28% Puykate, Ferreñafe, Lambayeque
0.94% Tocmoche, Chota, Cajamarca
0.38% Yanasara, Sánchez Carrión, La Libertad

Specimens obviously maintained as cultivated plants

0.55% Arequipa, Arequipa
0.80% Arequipa, Arequipa
0.86% Quequeña, Arequipa
1.13% Pueblo Libre, Lima
All of the above were reported in Cjuno *et al*. 2009 (Using dried outer green tissue)
4.7% Matucana (harvested in Peru; analyzed in USA)
Ogunbedede 2010 (Using dried outer green tissue)

No note included as to whether under cultivation

1.4% Barranca
0.78% Chiclayo
Both reported by Reyna Pinedo & Flores Gaércs 2001

1.2% Live material Claudine Friedberg obtained in Huancabamba
Poisson 1960 (Using whole dried plant reporting 0.12%.)

Mescaline estimates based on isolations that have been posted online by anonymous sources in Oz (These will likely contain DMPEA if it was present.)

Trichocereus pachanoi PC 0.00% dry weight.
Trichocereus pachanoi PC 0.016% dry weight.
Trichocereus pachanoi PC 0.017% dry weight.
Trichocereus pachanoi (longer spines) 0.1 % dry weight.
Trichocereus pachanoi 0.4 % dry weight.

Trichocereus pachanoi 0.5% dry weight.
Trichocereus pachanoi 0.7 % dry weight.
*Trichocereus pachanoi*X*scopulicola* 0.07% dry wt. (Not indicated whether the *pachanoi* was the PC or not.)

Trichocereus pachanoi

Cultivated under the mistaken name ***Trichocereus peruvianus*** **Huancabamba.**

0.54% Grown by Oasis from seeds collected at Huancabamba.
Ogunbedede 2010 (Using dried outer green tissue)

1.2% Grown by SS from the same seed lot.
Ogunbedede 2010 (Using dried outer green tissue)

Trichocereus** aff. **pachanoi **(Peru 64.0762)**

0.82% (clone wild collected by Paul C. Hutchison, Jerry K. Wright & R.M. Straw on August 8, 1964 as **PCH *et al.* 6212**)
From shaded canyon of Rio Marañon, Chagual, Huamachuco, La Libertad, above Chagual, 5 km below Aricapampa. Elev. 2740 m.
Ogunbedede 2010 (using dried green outer tissue)

Monstrose forms are rumored to be especially active in human bioassays. (Anecdotal claim made by vendors in the Lima plant drug market.)

Ostolaza 1996 illustrated the cristate *pachanoi* form being depicted in a supernatural context by the Paracas culture in Peru.

Trichocereus pallarensis **Ritter**

0.47% (dry outer green tissues) Ogunbedede 2010 (Using F. Ritter seed obtained from Winter in 1960; also depicted on entire page.)

Bioassay reported to be positive in a human - source requesting anonymity.

Trichocereus peruvianus **Britton & Rose**

Highly variable in appearance and mescaline content.
0.817% (dry weight) Pardanani *et al.* 1977 (see "KK242")
0.56% (dry weight) reported in 2004 gcms by Health Canada.
A 0.2% recovery was posted online by an anonymous source in Oz.

Underground mythology claiming this species has 10X the concentration of *T. pachanoi* appears to have no basis in fact unless selectively disregarding most published and anecdotal reports.

Turner 1998 recommended 4 inches of a 4-1/2 inch diameter plant for the same amount. The concentration in Turner's dose would not be more than 0.08% fresh wt. **[Note 5]**

Species appears to be highly variable in potency & palatability.

Many appear to be weaker than this. 0.05% fresh weight may be a better estimate of an average value for good peruvianus strains

Mescaline has **NOT** been detected by all investigators including Agurell 1969b and Djerassi *et al.* 1955

Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cited no reference.

A negative analysis was also reported by a friend working with 1.5 year old material grown from seed (New Zealand). This however turned out to be misidentified material originating with Dick Van Geest misnomered *Trichocereus peruvianus trujilloensis*. Bob Ressler suggested it was ***Rauhocereus riosaniensis*** while M.S. Smith suspects that it may be ***Cleistocactus*** (***Borzicactus***) ***fieldanus***. Smith seems likely to be correct.

Trichocereus peruvianus **KK242**

0.817% KK242 -- seed grown in California by Abbey Garden using KK242 seeds supplied by Karel Knize.
Pardanani *et al*. 1977 (Using intact plant)

0.24% K242 propagated from a live cutting sent by Karel Knize.
Ogunbedede 2010 (Using dried outer green tissue)

Widely asserted to be nearly useless or totally inactive according to anecdotal bioassay accounts. Some of this is believed to be the result of some confusion between *peruvianus* and *cuzcoensis* in some commercial seeds originating from Karel Knize in Peru. See MS Smith online for comments and page 70 herein for a photograph.

The picture is more complex as a number of different KK242s are known to exist. Knize inexplicably recognizes 8.

The plant analyzed was originally obtained as a live cutting from Karel Knize in Peru as ***Trichocereus peruvianus*** **KK242 Matucana**. This form is as active as many *pachanoi* plants according to its grower. in the Southeasten USA

Trichocereus peruvianus [or **aff. *pachanoi***?]

0.25% Chavin de Huantar, Huari, Ancash
Cjuno *et al*. 2009 (Using dried outer green tissue)

Images of the cacti growing at Chavin de Huantar can be found online using a google image search.

Trichocereus puquiensis **Rauh & Backeberg**

0.28% Chaviña, Lucanas, Ayacucho
0.13% Chumpi, Parincochas, Ayacucho
0.11% Incuyo, Parincochas, Ayacucho
0.50% Vado, Lucanas, Ayacucho
Serrano 2008 & Cjuno *et al*. 2009 (Wild Peruvian collections)

0.13% UC (UC from clones collected by Paul Hutchison)
Ogunbedede 2010

[Everything above analyzed dried outer green tissues.]

Monstrose form reported to contain mescaline based on successful human bioassays.

Bioassay information from source requesting anonymity.

Trichocereus riomizquiensis **Ritter**

0.40% grown from Ritter's seed (**FR 856**)
Ogunbedede 2010 (dried outer green tissue)

Trichocereus santaensis **Rauh & Backeberg**

Successful bioassay reported by source requesting anonymity.
0.31% Mancos, Yungay, Ancash
Cjuno *et al*. 2009 (Wild Peruvian collection.)
0.32% (using **OST 92701** seed-grown in cultivation.)
Ogunbedede 2010
(Everything above using dried outer green tissue.)

A claim for the presence of mescaline was made by Caycho Jimenez 1977 (page 91) and on page 92 he claims that three other alkaloids were also found but he cites no clear reference.

His source may be a 1972 thesis by Palomino which describes isolation and evaluation of an unnamed alkaloid the effects of which sounds a lot like mescaline.. Ostolaza - pers. comm.

Trichocereus schoenii **Rauh & Backeberg**

0.22% Cotahuasi, La Unión, Arequipa
0.20% Pampacola, Castilla, Arequipa
0.14% Huambo, Arequipa
All of above from Serrano 2008 & Cjuno *et al*. 2009 (dried outer green tissue)

Trichocereus scopulicola **Ritter**

0.85% Grown from **FR 991** seed by NMCR
Ogunbedede 2010 (dried outer green tissue)

A 0.2% recovery was posted online by an anonymous source in Oz.

Human bioassay reports range from worthless to 2X a normal *pachanoi*. Information from Anonymous sources

Voogelbreinder reported 800-1000 gm as a dose.

Trichocereus spachianus is an **erroneous** entry in the literature.

Pummangura *et al.* 1982, the reference cited for the claim, specifically did **not** find mescaline in that species.

***Trichocereus* sp.**

We presently do not know the correct identification of a plant that used to be in Tom Juul's garden. (See C10 for images)

It resembles *bridgesii*, *uyupampensis* and *knuthianus* (and several other species) but does not seem to be an exact fit for any.

This plant has been proven to be a mescaline container through human bioassay. Correspondent requesting anonymity.

***Trichocereus sp.* cv. 'Lumberjack'**

Encountered unidentified in a Sacramento Lumberjack store. Now grown for human use by anonymous correspondent. Inexplicably there are at least two different plants cultivated under this name.

***Trichocereus* sp. SS01** (*macrogonoid*)

Reported to contain mescaline based on human bioassays. Correspondent requesting anonymity; 2000

***Trichocereus* sp. SS02** (*bridgesioid*)

Reported to contain mescaline based on human bioassays. Correspondent requesting anonymity; 2000

***Trichocereus* sp. SS03** (*peruvianoid*)

Reported to contain mescaline based on human bioassays. Correspondent requesting anonymity; 2000

***Trichocereus* cv. 'Tom Juul's Giant' [Note 6]**

1.4% Ogunbedede 2010 (using dried green outer layer)

Mescaline indicated in human bioassays; confirmed by gc-ms. (See Trout's Notes on San Pedro for details).

Bioassay information from multiple firsthand sources.

See the 1998 *Entheogen Review* [7 (3): 70] and additional comments [7 (4): 99-100]

Juul's Giant appears to be highly variable in potency with some apparently being completely inactive.

It is purported by some users to contain additional alkaloids and this has been supported in some but not all gc-ms.

***Trichocereus* sp. 'Torres & Torres: N. Chile'**

(this is a *pachanoi*)

(%?) Lacking published analysis.

Bioassay report from source requesting anonymity.

Material was bioassayed in Torres & Torres 1995

***Trichocereus* sp. W.Baker 5452**

(this is a *bridgesii*)

(%?) Mescaline confirmed in analysis but unpublished.

Bioassay & analytical information from sources requesting anonymity.

***Trichocereus strigosus* (Salm-Dyck) Britton & Rose**

Traces (dry wt.) Nieto *et al.* 1982

[Candicine was the major alkaloid at 0.11% (110 mg per 100 gm) Hordenine reported to be the sole alkaloid by Agurell *et al.* 1971b.]

***Trichocereus taquimbalensis* Cardenas**

5-25+ mg. per 100 grams of fresh plant. Agurell *et al.* 1971b

DM Turner asserted a successful bioassay but included no details.

***Trichocereus terscheckii* (Parmentier) Britton & Rose**

5-25+ mg. per 100 grams of fresh plant. Agurell 1969b [Also Agurell 1969a]

Reti & Castrillón 1951 [Variable to absent. Reported a yield of 4 gm. from 10 kg. dry.]

Species appears to be highly variable in potency in analysis and in bioassays. Literally ranging from very potent through stimulating to completely inactive.

***Trichocereus thelegonoides* (Spegazzini) Britton & Rose**

Traces (dry wt.) Siniscalco 1983

Trichocereus tulhuayacensis Ochoa

Claim for the presence of mescaline is made by Caycho Jimenez 1977 (page 91) but he cites no reference to support his assertion. Its presence would be far from surprising.

***Trichocereus uyupampensis* Backeberg**

0.053% Ogunbedede 2010 (using dried outer green tissue) (grown from a clone deposited at Monaco by Backeberg.)

***Trichocereus validus* (Monville) Backeberg**

Over 25 mg. per 100 grams of fresh plant. Agurell *et al.* 1971b

***Trichocereus vollianus* Backeberg**

Traces (dry wt.) Siniscalco 1983

***Trichocereus werdermannianus* Backeberg**

5 to 25+ mg. per 100 grams of fresh plant. Agurell 1969b [See also Agurell 1969a]

Species is highly variable in potency & palatability. It has been reported in human bioassay to range from inactive to several times as potent as a normal *pachanoi*.

***Turbinicarpus lophophoroides* (Werd.) Buxb. ex Backeberg**

Trace detected; Štarha *et al.* 1999

***Turbinicarpus pseudomacrochele* var. *krainzianus* (Frank) Glass & Foster**

6.2 mg [± 0.475] to 12.4 mg [± 0.95] per 100 grams of fresh plant; Štarha *et al.* 1999

***Turbinicarpus schmiedickeanus* ssp. *dickisoniae* (Glass & Foster) N.P.Taylor**

This appears listed as containing Mescaline in Štarha 2001c but the only citation given is Štarha *et al.* 1999c which does NOT state that.

***Turbinicarpus schmiedickeanus var. flaviflorus* (Frank & Lau) Glass & Foster**

Trace detected; Štarha *et al.* 1999

***Turbinicarpus schmiedickeanus* var. *schwarzii* (Shurly) Glass & Foster**

3.15 mg [± 0.525] to 6.3 mg [± 1.05] per 100 grams of fresh plant; Štarha *et al.* 1999

Trace detected; Starha 2001c cited Starha et al. 2000

Endnotes

1. One positive bioassay does exist but it stands alone among many reports of sedation only.

2. There appears to be some discrepancy as this is not the major alkaloid with regards to the pellotine present.

3. The present harvesting practices are commonly careless or under informed. In some cases it is clear that they are accompanied with murderous intent, whether deliberately or just by neglecting good harvesting practices, as when the roots are harvested for brewing into tea.

4. Sample was from Egypt.

5. Approximation based on a previously determined weight of 128.5 grams per inch for a 3.75 inch in diameter *Trichocereus* specimen. Water content in *T. peruvianus* appears to be 90%.

6. aka **sp. TJG** Frequently encountered as *Jewel's or Jules' Giant* and other spellings.

Two other, odd, occurrence reports exist in the literature

Leguminosae

***Acacia berlandieri* Bentham** was reported to show a mescaline content of 4.9 ppm early in Spring and 35.7 ppm later in the Fall. Clement *et al*. 1997

***Acacia rigidula* Bentham** had a mescaline content of 3.4 ppm reported early in Spring and 27.5 ppm later in the Fall. Clement *et al*. 1998

Leaves, petioles and tender stems were reported to contain low amounts of mescaline and an amazing assortment of diverse bioactive compounds including nicotine, nornicotine, DMT, N-methyl-mescaline, trichocereine, several peyote tetrahydro-isoquinoline alkaloids, methamphetamine, amphetamine and a good number of compounds never before been reported in nature

The alkaloid concentration and profile in both species appeared to be extremely variable.

Both were collected in Zavala County, Texas.

It is perhaps trivial but still a fascinating coincidence that both of these species are native to peyote land.

There are however at least several serious questions surrounding these accounts which were not satisfactorily answered by the authors. Unless someone duplicates these results or else is able to furnish some answers concerning the source of some of their purported reference standards these reports need to be viewed with reservations. According to A.T. Shulgin (pers. comm.) two of the novel compounds they claim to have either obtained commercially or synthesized have never had a synthesis published.)

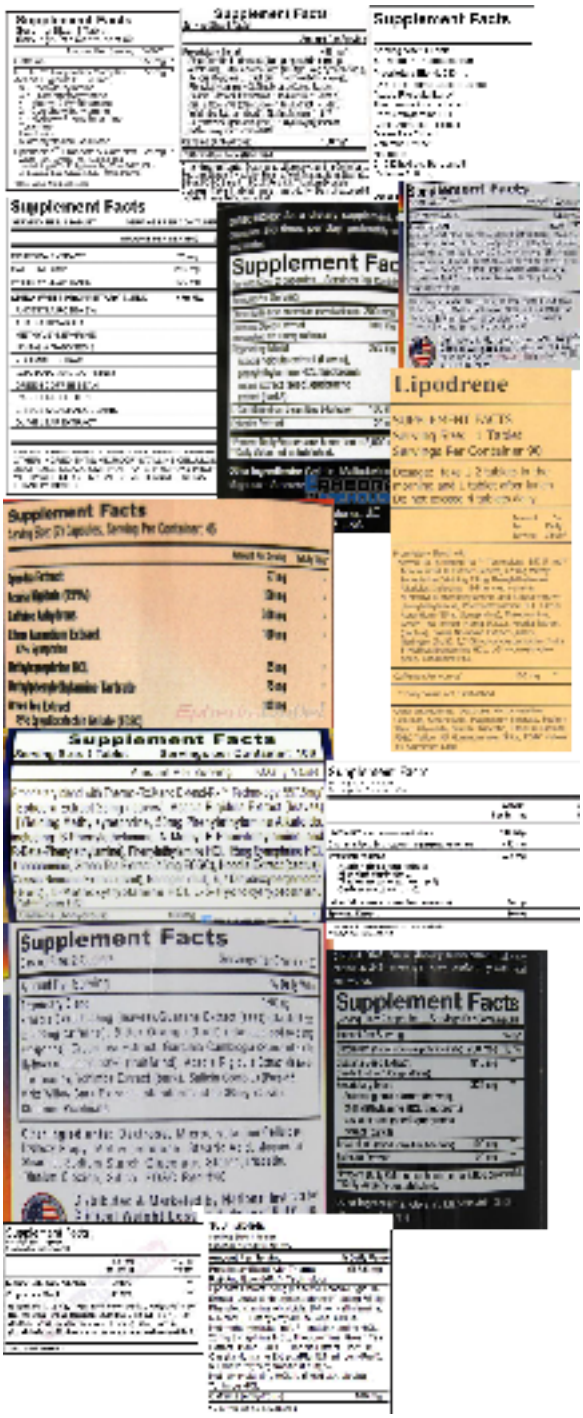
Clement dodged several workers, including Shulgin & also Martin Terry, who were attempting to get answers and more recently her coworker Forbes has claimed no awareness of any questions existing yet failed to answer any of those questions. A correspondent emailed Forbes and engaged him in a brief dialogue that was terminated without providing adequate answers..

Forbe commented that their identification relied on published reference spectra. This was true and was stated as such in Clement's paper but it sidestepped the same paper's claim of obtaining or synthesizing refernce standards to confirm the identifications.

An interesting development in the years which followed was the commercial marketing of weight loss products purported to be based on extracts from *Acactia rigidula, probably* due to Clement's report that amphetamines were present.

As a result of that, more recent work was performed on weight loss products and on *Acacia rigidula* collected from three Texas counties. The researchers failed to detect any amphetamines of any type in the plant samples but did detect them in the weight loss products. Hardly a surprise since extracts of *Ephedra* and *Sida*, bitter orange, synephrine and methylsyneprine were all common ingredients. See Pawal *et al*. 2013.

Pawal & cowrkers confirmed the presence of N-Methylphenethyl-amine and similar compounds reported by Camp and earlier workers. They were not able to detect mescaline or the myriad of compounds novel to *Acacia rigidula* which had earlier been reported by Clement.



Weight loss products containing *Acacia rigidula* extracts.


"More than you need to know?"
Chapter Three
MESCALINE
Pharmacology
&
Metabolism
"Ah! quelle bonne drogue!"
León Diguet 1951
Mescaline sulfate
Photos from Aleph117
A mydriatic eye & Lophophora williamsii

Trichocereus terscheckii

Grown from Manuel Ochoa's seeds,
this specimen was planted in the ground by Myron Kimnach more than 50 years ago.

Pharmacology & metabolism of mescaline

As preface to his 1958 work on mescaline, Roland Fischer used the following quote that I would like to iterate, as it is very appropriate for this section:

"*...like the new parlormaid, I am simply rearranging the dust, but I hope that in the process one or two small spots may appear from which the dirt has been cleared away*."
[Fischer 1958 cited Robinson 1954]

I hope that the following can be of some use, despite its conflicts, omissions and lack of completeness, answers or conclusions.

Perhaps some year work bereft of preconceived prejudice can begin again in this important area.

Physical & psychological effects in humans

The first human pharmacological evaluation of pure mescaline was that of Arthur Heffter 1898b

Mosby's 1994: page 981, describes mescaline as "*Closely related chemically to epinephrine...causes heart palpitations, diaphoresis, pupillary dilation, and anxiety. ...produces visual hallucinations, such as color patterns and spatial distortions, but it does not ordinarily produce disorientation...used in some religious ceremonies to produce euphoria and a feeling of ecstasy.*"

Mescaline is readily absorbed from the intestinal tract **[Note 1]**.

Fischer 1958 noted that one individual vomiting 45 minutes after ingestion showed obviously incomplete absorption while another person who vomited after 1.5 hours showed no differences between her values and that seen in her twin who did not vomit (the twins were both schizophrenics)

Tayleur-Stockings 1940 observed the following effects in humans given oral dosages of 200-500 mg:

Mydriasis, conjunctival injection, flushing, mild tremor, incoordination, impaired pain sensation, augmentation of all deep reflexes, hyperpnea, nausea and vomiting, loss of spatial discrimination, exaggerated or prolonged afterimages, as well as delusions, hallucinations or various other psychic disturbances. (from Hardman *et al.* 1973)

500 mg of the sulfate given intravenously to humans caused nausea, vomiting, sweating, generalized discomfort, dizziness, headache, palpitation, feelings of hot or cold, pupillary dilation and chest, neck or abdominal cramps within 10 minutes. [Denber 1958]

A small rise in body temperature and systolic blood pressure is also experienced. [Wolbach *et al.* 1962]

Usually the heart rate is somewhat accelerated but slight bradycardia is sometimes observed as a reflex compensation to the hypertension.

Nausea and vomiting subside before development of the psychic symptoms and more objective symptoms such as pupillary dilation follow the time course of the hallucinogenic action. (from Kapadia & Fayez 1970)

Deniker 1957 noted similar effects and a generalized tremor appearing by the second hour, using 10 mg/kg of the hydrochloride intravenously. He mentions that although the tremor is often severe, it is partially under voluntary control and spontaneously ceases after several hours. Both it and cases of nausea not disappearing by onset were said to be eliminated by chlorpromazine.

Deniker also observed an "*unusually intense but temporary congestion of the face appears during the injection, decreases progressively and disappears during the first half hour. It is replaced by a more or less intensely pale facies. A symmetrical acrocyanosis* **[Note 2]** *of the upper and lower extremities is noted during the first 10 minutes, and lasts from one to several hours*."

Pharmacological effects in humans at 5 mg./kg. Orally **[Note 3]**, according to Anderson 1980:

1. Slight increase in blood pressure and pulse rate. Changes in blood pressure are not necessarily correlated with dosage levels. [See also Gutiérrez-Noriega & Cruz Sánchez 1947]
2. Strong increase in patellar (knee) reflex, especially with doses above 150 mg. (Peak response in about 80 minutes.) High doses produce what can best be described as a "flail-like" reflex.
3. Excessive dilation of the pupils (mydriasis). [Pupillary dilation was said by Deniker 1957 to occur either during the injection or within the first 10 minutes.]
4. Evidence of postural instability and some disorders in gait.
5. General increase in motor activity exemplified by fidgeting etc.
6. Immediate perspiring.
7. Increase in the frequency and amplitude of respiration **[Note 4]**, often leading to rapid breathing (polypnea). [See also Gutiérrez-Noriega & Cruz Sánchez 1947]
8. Lowered body temperature (hypothermia), [falling as low as 97.2° F], for about the first four hours followed by a rapid shift leading to a moderately high temperature (hyperthermia), [occasionally going higher than 100.4° F]. [Temperatures are as given by Deniker.] [See also Gutiérrez-Noriega & Cruz Sánchez 1947 for graphic representations of the results from their human evaluations.]
9. Rapid rise in blood sugar (hyperglycemia), reaching a maximum in about an hour, followed by a return to the initial level in 2 to 4 hours **[Note 5]**. [This is in interesting contrast to the marked hypoglycemia that Speck observed in laboratory animals at much higher dosages. Deniker was using the rather high dosage of 10 mg/kg of the hydrochloride administered intravenously to his subjects, which still falls far short of that of Speck's dosages to rats.]
10. Consistent decrease in blood potassium reaching its lowest point in 30-60 minutes.
11. Increased urinary excretion and often a strong desire to defecate.
12. Marked increase in the number of circulating leukocytes (leukocytosis) **[Note 6]**, reaching a peak in 2 to 4 hours, followed by a slow decline to the initial level. [Deniker also noted a marked decrease in eosinophils **[Note 7]**. It was said to be "*most marked at the fourth hour, and still present at 24 hours*."]
13. A flattening of the waves and a general blocking of the alpha rhythm on the EEG at the time of intense visual perceptions **[Note 8]**. This was thought to probably be due to the attention set of the subject. Deniker mentions this is a common feature and that it had also been observed by Verdeax 1950 with oral dosages. [Reported by many.]
14. Flushing of the skin, often accompanied by shivering and chills with goose pimples; high doses may cause the erection of hairs (piloerection)
15. Increased salivation.
16. Sensations of hot and cold.

Anderson 1980 cited Feigen & Alles 1955: pp. 172-175 and Deniker 1957: pp. 428-431 and Ludwig & Levine 1966: p. 24

The onset of hallucinogenic activity is often accompanied by yawning, tearing of the eyes, blurred vision and excessive salivation.

We have noted a frequent appearance of a fluttering effect at the lower peripheral edges of the visual field. Bright light, shuddering and rushing waves of light and sound may be encountered at higher dosages.

There is usually an enhancement of the phosphene field and the appearance of brightly colored and complex images, motifs (often resembling early Chinese or Mexican designs) and geometrics.

Sometimes distant scenes or hypnagogic imagery are perceived.

Synethesias between sound and vision (or other senses) are not uncommon.

There is often a pronounced distortion of vision where motionless objects appear to be moving or 'crawling' in place.

Hallucinations seen with mescaline are readily perceived even in brightly lit surroundings.

Perceptions of time and space may be altered dramatically.

Flat and ordinary surfaces may appear deep and rich with complex texture.

Distant objects may appear close and close objects may appear distant.

Colors physically present are generally intensified and bright colors may appear to be vibrating.

All sensations of touch, taste and hearing are also enhanced and altered.

Sometimes noise sensitivity is dramatically enhanced.

One's actual judgment of their surroundings is often impaired and effort is required for normally simple tasks. In spite of this, there is a distinct subjective feeling that one is in control of their faculties and ability to think. If conscious and deliberate interaction with one's environment is required, usually the hallucinogenic effects will dissipate, may even be entirely displaced, until the distraction is resolved.

Greater caution is manifest during actual movement and performance of tasks **[Note 9]**. Movement may be accompanied by stiffness of joints.

T'ai chi chuan when practiced while under the influence of mescaline (or psilocybin) causes an immense feeling of stimulation and well being. It is frequently followed by a glowing sensation, pronounced rushing and an exaggerated deepness to breathing.

Occasionally there is a perceived appearance of a being which is believed to either be associated with the plant or the spirit of the plant itself. Although it can take a variety of forms, it is usually perceived to be an ancient and powerful teacher and direct interaction is the norm. It is generally perceived to be able to answer any questions put to it; often the volume of response is overwhelming. I have never found it to lie or to be in error on any points which we had the means of determining the accuracy of. This appears to be a phenomenon independent of the religious or spiritual background or expectations of the user. There are no guarantees that it will or will not appear visibly. In my history of use, its distinct and **visible** appearance seems limited to less than 10% of the times I have experienced peyote. Its presence is 'sensed' far more frequently, and information can be extracted and teaching occur without it being visibly manifest. This latter phenomenon also occurs with San Pedro. The visible appearance of the peyote 'spirit' has been experienced by myself using combinations of the two cacti as well as peyote and crystal mescaline but never with San Pedros or crystal mescaline alone **[Note 10]**. San Pedro has come to me visibly in a dream, as a woman healer **[Note 11]**. At that time I had not ingested cactus in any form in several years, the most recent having been peyote.

In one case, peyote which were too young to be effective vehicles of mescaline were combined with San Pedro [as a mescaline source] in hopes of roughly approximating a peyote alkaloid blend. One person (alcoholic) who had never consumed peyote or San Pedro and who was of a Seventh Day Adventist upbringing and belief system was very startled to meet the "old man." face to face. (We had never previously discussed this issue with them.) After several years of infrequent and intermittent use which contributed heavily to periods of abstinence from alcohol (the longest being around 6 months), this factor eventually led to a total abandonment of peyote usage as being in conflict with their faith. They had no such problem in using other drugs which did not so personify for them, such as alcohol, and nicotine, (both of which they used addictively) as well as methamphetamine or cocaine (which they used occasionally).

I have been unable to determine any pattern to its appearance or variables which enhance it. Preparedness and expectations help to maximize the beneficial subjective results and experience, but do not seem to have any direct correlation to the visible appearance of this perceived 'entity'. Its first appearance for me was many years ago when using peyote as a purely recreational drug and its intent seemed to be to teach me that my intent was improper and subsequently attempt a tutelage of proper approach and future usage. The experience ended my recreational use of hallucinogenic drugs. I have never again been able to or had any desire to trip for "*fun*" or nonpurposeful experience.

R. Gordon Wasson captured the feeling most accurately when observing that "*... ecstasy is not fun. Your very soul is seized and shaken until it tingles. After all, who will chose to feel undiluted awe, or float through that door yonder into the Divine Presence? The unknowing vulgar abuse the word, and we must recapture its full and terrifying sense....*" [Wasson 1961]

Whether using San Pedro or peyote, the spirit of the plant always seems to be present and interactive, just not as a distinctly visible individual. It is my belief that the visible manifestation of the peyote spirit only occurs when there is need, such as, in my case, when a 'wake-up call' is required. For me, it has always been accompanied by a very powerful and often forceful teaching experience concerning redirection. Whether it is visibly apparent or only perceived to be present as a formless teacher, I never fail to benefit when approaching this experience in a respectful and sacred manner. It is my belief that it also gains something by our participation. This latter point is a somewhat speculative matter I do not feel comfortable discussing in this type of public and impersonal format.

There is often a pronounced enhancement of spiritual and inspirational perceptions. This has been refuted by a number of researchers who noted no such effects. Roland Fischer noted that some people (he calls them eidetic types) have an increased propensity towards inspiration and visionary experiences and others do not. The fact that some people, for whatever reason, cannot experience these effects does not alter or diminish their importance for those who do. A sacred plant or a sacred place is sacred independently of the viewer. Not everyone is equipped to deal with the sacred. It is far safer for some people, especially the easily threatened, to avoid it entirely.

Stevenson 1957b observed: "*Some of the subjects taking the drug become immersed in the images which well up from within them. They lose their sense of selfness and enter a state indistinguishable from a dream or a psychosis. But others move in the opposite direction. They achieve a heightened sense of their own separateness from the psychic images and a mystical awareness of the Self which ordinarily lies hidden beneath these images.*

We do not know whether psychological or biochemical differences account for these variations. Perhaps both influence the results. We have accustomed ourselves to the extraordinary diversity of psychological reactions to similar events. Experiments now teach us that humans also differ greatly in their biochemical responses to the same drugs."

We will discuss this topic briefly farther below.

Kapadia & Fayez 1970 note that it has been mentioned that in tests of concentration and reasoning failure is almost certain. This is a little misleading. We would like to point out that, in the numerous cases where this has been noted, the subject does not have actual difficulty in performing the reasoning or requested mental operations but rather fails the tests due to their attention being drawn elsewhere or distracted by the ongoing experience. Their failure is generally not a result of inability to perform what is requested but rather that of an inability to complete the test due to a lack of concentration or interest. Some stages of the 'intoxication' can be overwhelming in content and demand one's full attention.

Concentration is indeed possible but it requires a supreme effort. When focused concentration occurs, (as also is not only involved but absolutely required during shamanic or healing work) the hallucinogenic effects are displaced and diminished. This can be found to be an irritating thing if what is being asked to occupy the attention is perceived of as being pointless and trivial, such as the 'concentration test' of being asked to count by groups of 7. The 'unwillingness' of mescaline 'intoxicated' subjects to participate in such clinical evaluations is frequently noted in the medical literature.

Szuman 1930 fig. 3
Sketched while self-experimenting with mescaline in Poland.

A few observations published on the phenomenon of mescaline experiences

"*Description of psychological phenomena after mescaline intoxication: rotary deviation of visual scope; horizontal and verticle* [sic] *directions become oblique* **[Note 12]** *objects seem to be very distant* **[Note 13]**; *it is rare that relief is not observed, on a flat surface delusive reliefs are seen* **[Note 14]**. *The perception of colours undergoes strange modifications; there is a regression of perception to an inferior level*."

From Entry #732, *Excerpta Medica*, Section VIII (1951) Vol. 4, No. 2; abstracting Delay & Gérard 1950

The beginning of the "*toxic action*" is characterized by mood changes. [Dependent in content and nature by the personality of the subject.] These are "*followed by psycho-sensorial disturbances with distorted perceptions of form and color and disturbances of cenaethesia* [Ed.: i.e. the general sense of existing]. *The aesthesia of the manifestations is always vivid; the cenaesthetic sensations are sharply felt. The first photopsias* [Ed.: i.e. visuals] *are preceded by a slight deterioration of mental processes but the adaptation* [Ed.: i.e. ability to change or respond to their environment] *remains normal. Gradually the critical faculty is overwhelmed by the phenomena seen; objective reality loses ground and there is continual confusion between the psycho-sensorial disturbances and the objects perceived. In more profound intoxication, existence is at the single level of a total confusion between reality-perceived as in a dream- and autistic and concrete thought. The personality is projected during the intoxication, both in the period of excitation (liberation of affective tendencies* (Ed.: i.e. emotional tendencies **[Note 15]**) *and in that of the psychosensorial phenomena. Symbolic thought becomes reflected as the consciousness deteriorates*."

From entry #2491, *Excerpta Medica*, Section VIII. (1949) Vol. 2, No. 8; abstracting Delay & Gerard 1948

"*These phenomena are, as it were, based on the rendering of thoughts into concrete form. The intoxicated subject immediately perceives that of what he is thinking. The thought can be perceived by the senses in the same way as in hypnagogic states. It constitutes an effective identification, resulting from an adequate functioning of the intellect; objectivity and cohesion of personality are lost. Occasionally these sensations may also be experienced by normal subjects*."

From entry # 3852 *Excerpta Medica*, Section VIII. (1951) Vol. 4, No. 10; abstracting Delay *et al.* 1951

"*This intoxication is characterized by affective disturbances, impulsive disturbances and an autistic attitude, as well as by hallucinations and the initial stages of delusions of grandeur and a delusion of reference. The intoxicated subject is aware of his condition, however, and he is fully alive to the fact that his psychosis-like experiences are artificial in nature. At any moment he is able to criticize all his delusions and illusions and compare them with and separate them from reality. Hallucinations and delusions may be deflated at will* **[Note 16]**. *Mescaline intoxication is of interest, as the patient is capable of communicating his experiences to others in an intelligible manner*."

From entry #3306 *Excerpta Medica*, Section VIII. (1952), Vol. 5, No. 8; abstracting Van Den Berg 1951

"*A white spear of stone grew up to a great height and became a tall, richly finished Gothic tower of very elaborate and definite design, with many rather worn statues standing in the doorways or on stone brackets. As I gazed, every projecting angle, cornice and even the face of the stones at their joinings, were covered or hung with clusters of what seemed to be huge precious stones, but uncut, some being more like masses of transparent fruit. These were green, purple, red and orange - never yellow and never blue. All seemed to possess an interior light; and to give the faintest idea of the perfectly satisfying intensity and purity of these gorgeous color fruits is quite beyond my power.*

As I looked, the tower became of a fine mouse hue and everywhere the vast pendent masses of emerald green, ruby reds and orange began to drip a slow rain of colors. All this time nothing was at rest a moment. The balls of color moved tremously. The tints became dull and then at once, past belief, vivid; the architectural lines were all active with shifting tints. The figures moving shook the long hanging lines of living light, and then in an instant all was dark.

After an endless display of less beautiful marvels, I saw that which deeply impressed me. An edge of a huge cliff seemed to project over a gulf of unseen depth. My viewless enchanter set on the brink a huge bird claw of stone. Above from the stem or leg hung a fragment of some stuff. This began to unroll and float out to a distance which seemed to me to represent Time as well as the immensity of Space. Here were miles of rippled purples, half transparent and of ineffable beauty. Now and then soft golden clouds floated from these folds, or a great shimmer went over the whole of the rolling purples, and things like green birds fell from it, fluttering down into the gulf below. Next I saw clusters of stones hanging in masses from the claw toes; as it seemed to me miles of them down far below into the underworld of the black gulf."

Weir Mitchell 1896

"*Mescaline intoxication is characterized by the excessive emphasis on the subjective side of experience*. [Ed. by its nature a hallucinogenic experience ***IS*** a subjective experience.] *Space is experienced as completely free of objects, and with regard to time there is a disappearance of the subjective sense of time, which is experienced as timelessness, eternity or nothingness. Mescaline intoxication is not a suitable model psychosis, however, as it lacks a genuine relationship with the known forms of psychosis. To the maniac the boundaries between his own space and foreign space have become obliterated and the loss in distance results in a loss of human dignity. He has no real sense of the present, which is experienced as a momentary state and not as a transitional stage between the past and the future. The schizophreniac is obviously incapable of leaving his own space for a foreign space. The experience of space as a manifestation of communicative interchange has been impaired*."

From entry #3307 *Excerpta Medica*, Section VIII. (1952), Vol. 5, No. 8; abstracting Wolf 1952

"*The effects varied widely in different individuals. The most characteristic symptom is that of wonderful visual color hallucinations. Clear consciousness is generally preserved and the subject is fully aware of his condition. Sensory illusions and transposition of sensorial excitation are the interesting factors in this inebriation. Ordinary objects appear to be marvelous. Sounds and music are "seen" in color. In comparison, the impressions of everyday life seem pale and inert. Color symphonies and new, unknown colors* **[Note 17]** *of unimaginable beauty and brilliancy are perceived. Euphoria is not always present. Hallucinations of hearing, taste or other senses were reported more rarely. Bradycardia, nausea, a feeling of oppression in the chest, faintness, and headache may also occur*."

Reti 1950: p. 278

"*Mescaline and LSD do not dull consciousness. Therefore, a person is able to recall the past under their influence while preserving at the same time full vividness of the present experience."*

"Other psychological effects of these drugs which have not yet received the attention they deserve are the changes in the perception of present experiences...."

"*These drugs in some people can increase the experience of beauty. The intensity of the colors and the fascination with colors and shapes which many subjects experience leave an unforgettable residue of appreciation for the beautiful. If the subjects look for beauty and see it where they did not see it before, is this not therapeutic*?"

"*The subject's perceptive experience may pass from ordinary perceptions through illusions to hallucinations, while all the time he thinks he sees the same object. What is changing? Not the walls and ceiling; of this he is assured by others in the room. Therefore, the changes must be a part of himself. But what part? He has a different perception of the world around him but is it necessarily a false perception? If I put on my glasses and see the details of the distance more sharply, no one could say that I am hallucinating! But if under the influence of mescaline I see colors and forms which I did not see before, they say I am hallucinating. But is this necessarily so? It may be that I really have achieved a new and better vision of external reality*."

"*The brain has been compared to a great filter which screens many stimuli from the mind. Mescaline may reduce the filtration powers of the brain and let in some of the beauty which our brains ordinarily hide from our awareness ... Although I will not deny that some and perhaps many false perceptions may occur under the influence of these drugs, I think it a mistake to overlook the possibility that these drugs may bring us, at least partially, into contact with a world of which we know little and should know a great deal more. I am emboldened to make this suggestion by my readings of the experiences of clairvoyant persons, some of whom have been most sensible and critical observers, and have given accounts of their perceptions in different states of consciousness. Their descriptions of altered perceptions of space, body and time, and of synesthesiae bear a remarkable resemblance to the reports from persons under the influence of mescaline*."

"*... subjects may come to have a different attitude towards perception. Most persons who have thought much about perception have discarded the theory of naive realism. .. they know intellectually that the sense data they perceive differ from the real object which is the source of the stimuli...., but they do not know it with conviction. Such conviction can come during and after a mescaline experience. The difference resembles that between reading a play and seeing a play performed or, better still, performing in one. The ultimate truth about perception still evades us. It may be that our every day perceptions do indeed present to us one aspect of reality, and that in ... states (such as that induced by mescaline) we experience another aspect of reality. What matters is that we should avoid identifying our sense data with the total reality of the objects perceived. After the mescaline experience, the subject may have a heightened realization that his every day sense data only present (and most imperfectly) a part of the real world around him. This may bring him further along the path of detachment from sense data, towards the non-attachment (and accompanying peace) of which mystics tell me*."

"*Another relevant experience is the subject's realization of the instability of his own perceptions and of his own thought images. He may have acquired a strengthened awareness of his own Self. Some subjects ... cease to distinguish observing Self from images and, losing sight, enter a state which may be indistinguishable from dream states, deliria or schizophrenic reactions. Other subjects experience something which I compare to a spectator's remembrance of himself in a theater. Sometimes the playgoer becomes lost in a play*.....[and for a moment forgets]*... that he is sitting in his seat watching the actors. Something like this may also explain what happens during the mescaline experience. Watching the images pass before the observing Self, the subject may suddenly have a realization of the separateness of that self from the images.....In this respect, his experiences may resemble mystical states*."

"*I have emphasized that the experiences and effects, mentioned above, do not come to all subjects*....."

[Dr. Stevenson dismisses the idea that the mescaline experience is nothing but a 'toxic psychosis' akin to febrile deliria, pointing out that while visual and perceptive disturbances may be similar, unlike febrile deliria, with mescaline, they (including hallucinations) occur with a clear consciousness. He argues that by this they more closely resemble schizophrenia than febrile deliria]

In reviewing some of the similarities and differences of schizophrenia and the drug induced mental states, he points out that, "*Impairment of perception, thinking and affect occurs in both with preservation of orientation and unclouded consciousness*....."

"*Schizophrenia and the experimental psychoses are most similar in that the subject has uncontrolled and unfamiliar thoughts and feelings. The heightened speed of imagery are remarkably alike in both the natural and the artificial psychoses. The subject under the influence of mescaline is frequently absorbed in his fantasies and does not wish to participate in any interview or testing*..."

Among the differences, he mentions that disturbances of perception do not occur in all schizophrenic patients. The auditory disturbances which are common with schizophrenias are rare with mescaline. Disturbances of visual perception are far more frequent with mescaline.

"*Disturbances of thinking do not occur in all subjects under the influence of mescaline. In fact, we find an extraordinary diversity of symptomatic patterns both in schizophrenia and in subjects under the influence of these drugs.....Meduna separated out one of the group of schizophrenias which he called oneirophrenia, a dream-like state. In this condition, perceptive disorders are predominant and thinking disorders slight. The mescaline psychosis more closely resembles this group than it does so-called classical schizophrenia*..."

And perhaps most importantly "*...The subject taking mescaline is fortified by the knowledge, which he usually preserves, that his experience is transient and controlled. The patient entering the strange world of schizophrenic perceptions has no such support*." From Stevenson 1957a

An interesting point about this work is its ethical nature. All of Dr. Stevenson's subjects were volunteers from the staff and medical students of the Louisiana State University School of Medicine rather than his patients.

Stevenson 1957b made the following comment:

"*The world opened up by mescaline and kindred drugs does not have only the terrors of psychosis within; it also contains transcendental beauty. The perception of colors becomes greatly heightened and the meaning of people and things become altered. Thoughts become speeded up and the sense of time changes so that one minute can seem an hour and 60 an eternity.*"

One strange point made by Fischer 1958 is his statement "*Bridger and Gantt (1956) reported that, under the effect of large doses of mescaline, dogs reacted to a conditioned stimulus as if it were the unconditioned one. This means that the secondary signals (words and ideas) come to act like the primary signals of sensations and direct impressions of reality. The inhibition phase, produced by mescaline, apparently retraces the path of evolution from the youngest to the oldest forms of nervous activity*."

It is a dangerous leap of faith to extrapolate from what goes on in a dog's behavior to conditioned response to a human's response to words and ideas. An altruism I wish more researchers would take to heart is that ***neither dogs nor psychotics can serve as appropriate models for understanding the effects of these substances on a normal human's consciousness***.

Individual variability of drug response

References to this phenomenon were made earlier.

As the importance of set and setting have been discussed in detail **[Note 18]** by so many authors there seems little point in repeating all but the most obvious: the most beneficial or at least the experiences with the lowest incidences of anxiety or unpleasant experiences are generally to be had in a situation where the subject can feel at ease, free from stresses, annoyances, distractions or being required to interact with the world in a problem solving fashion (like answering the door, a telephone or questions from someone who is unaware of their condition.).

The subject of variability of experience between individuals has been repeatedly noted but rarely explored in great detail. Not only do individuals commonly show radical differences in their response to identical doses of the drug but very radical differences, both qualitative and quantitative, are commonly observed in excretion and metabolism from one individual to the next. These, sometimes substantial, individual differences have been noted repeatedly both in human and in animal studies. There is no way for us to discuss this adequately and it is mentioned in the hope that someone might attempt to correlate the variables and see what, if any, underlying relationship patterns emerge.

Some variables are more clearly understood in spite of the lack of rigorous comparisons.

One is the overall dislike of the hallucinogens by mentally unstable individuals in general **[Note 19]**. This is generally not only true of psychotic individuals but also those who hold a suspicious, tenuous or uncertain sense of their own mental health.

An interesting interchange from a 1959 conference on the use of LSD in psychotherapy (i.e. involving primarily psychotic and neurotic individuals) may be found illuminating: (Unger 1963b)

Hoch: "*Actually, in my experience, no patient asks for it* [LSD] *again*."

Katzenelbogen: "*I can say the same*."

Denber: "*I have used mescaline in the office...and the experience was such that patients said, "Once is enough." The same thing happened in the hospital. I asked patients there if, voluntarily, they would like to take this again. Over 200 times the answer has been "No."* "

or Malitz's comment, "*None of our normal volunteers wanted to take it* [LSD] *again*."

Contrast this with the reported results of DeShon and associates' first LSD study done with normal subjects in this country:

"…*anxiety was infrequent, transient, and never marked...All subjects were willing to repeat the test*."

or Harold Abramson; "*During the past four years we have administered the drug [LSD] hundreds of times to nonpsychotics in doses up to 225 micrograms....Those who have participated are nearly always definitely benefited by their experiences. Almost invariably they wish to return and to participate in new experiments*."

or Ronald A. Sandison; "*...few patient discontinue treatment, in fact, enthusiasm and eagerness to continue are among the features of LSD patients* **[Note 20]**."

Some differences in response may be as simple as the physical, psychological or emotional condition of the subject.

Anderson 1980 mentions a study by Max Rinkel and associates which found that more athletic people "*developed marked physiological disturbances, euphoria and very few somatic changes. The intellectual (aesthetic) types suffered mental confusion, disruption of mental functions and few physiological changes but many somatic complaints*." (less pronounced with LSD and Psilocybin.)

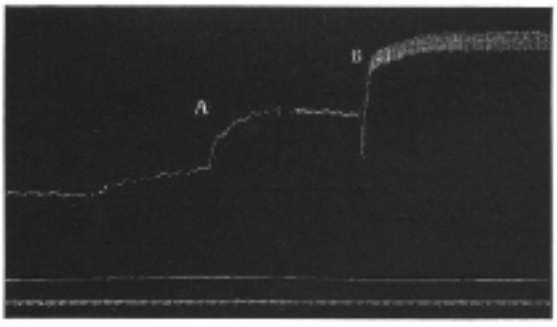
[Rinkel's study was cited in Hoffer & Osmond 1967: p. 41. See also: Rinkel 1956 & 1957]

Descriptions of mescaline's effects

(suggested readings; including peyote or San Pedro)

Aboul-Einen 1973
Anderson 1980 [Chapter 4 and references contained therein.]
Beringer 1927 [reprinted in 1969.]
Buchanan 1929 & 1931
Claude & Henri Ey 1934 **[Note 21]**
Crichtly 1931
Denber 1958 & 1964
Denber & Merlis 1954
Desoille 1938
Dixon 1899-1900
Ellis 1898 & 1902
Fernberger 1923 & 1932
Foerster 1930
Gutierez-Noriega & Cruz Sanchez 1947 & 1948a
Guttman 1921a [see also 1921b]
Guttman & Maclay 1936
Heffter 1898b
Hobschette 1929
Hollister 1962
Huxley 1954 & 1956
Kluver 1926 & 1928 [1966]
LaBarre 1938 [1975] & 1960
Lewin 1931
Maggendorfer 1928
Marinesco 1933
Mayer-Gross 1951
Olney 1972
Petrullo 1934
Prentiss & Morgan 1895 & 1896
Rouhier 1926 & 1927
Smith 1959
Thale *et al.* 1950
Vargas 1959
Weir Mitchell 1896

(Mostly from Kapadia & Fayez 1970, LaBarre 1975 and Reti 1950. Anderson 1980 and LaBarre 1975 include many others. Reti 1950 notes that Beringer 1927 has a good bibliography of early works on the subject.)



Dixon 1899 showing effects of mesaline on a cat's blood pressure

Disparities in response based on cultural variables was explored by Wallace 1959

Wallace's summary

White	**Indian**
1. Variable and extreme mood shifts (agitated depression, anxiety, euphoria; all dependent on the personal characteristics of the individual.)	1. Initial relative stability of mood, followed by religious anxiety and enthusiasm, tendency towards feelings of reverence and personal satisfaction when a vision is achieved[?], and often expectation of a "cure" of physical illness. **(F)**
2. Frequent breakdown of social inhibitions and displays of "shameless" sexual, with aggressive, etc., behavior **(A)**	2. Orderly and proper behavior is maintained. "Revivalistic" enthusiasm is socially proper in context.
3. Suspiciousness of others present (said to be uniformly reported by Guttman and noted by Klüver in himself.) **(B)**	3. No reported suspiciousness. [Ed.: Except in reports involving Indian attitudes towards some visiting anthropologists!]
4. Unwelcome feelings of loss of contact with reality **(C)**, depersonalization, meaninglessness, "split-personality" etc..	4. Welcoming feelings of contact with a new, more meaningful, higher order of reality, but a reality prefigured in doctrinal knowledge and implying more, rather than less, social participation. **(G)**
5. Hallucinations are largely idiosyncratic in content.	5. Hallucinations are often strongly patterned after doctrinal models.
6. No therapeutic benefits **(D)** or permanent behavioral changes **(E)**.	6. Therapeutic benefits and behavioral changes are marked. (i.e. reduction of chronic anxiety levels, increased sense of personal worth, more satisfaction in community life.) **(H)**

Wallace based the summary of his view of the primary differences between subjects by contrasting those who were whites (the majority of whom were most likely *mental patients*) **involved in clinical studies** with those who were from native cultures **using the drug in a more traditional way**.
The differences between the two groups probably had far less to do with race than setting and philosophical view. See Malitz's comment footnoted here.

Trout's comments:

(A) Inhibition and the inappropriate expression of emotions (affective tendencies) or sexuality are only exceedingly rarely noted in normal subjects but, in studies involving mental patients this is not uncommonly observed.

(B) This has never been a component in my experience; perhaps a clinical setting contributes?

Unger 1963b includes an observation by Hyde 1960: "... *impersonal, hostile and investigative attitudes arouse hostile and paranoid responses.*"

(C) No doubt this is a factor in the common dislike of these substances by psychotics.

(D) Denber and also Stevenson give quite a different picture, and claim it of great value in carefully selected cases where traditional therapy had been ineffective or to overcome impasses which had been reached during the course of therapy. Moreover, Unger 1963b also paints a quite different picture; overviewing many people who were helped make permanent changes in their life and behavior through LSD adjuncted clinical therapy. Many of these were cases who all other forms of therapy had failed for and they covered the gamut from alcoholics to sex perverts.

(E) This is true of entheogenic drugs or any form of behavioral modification; unless conscientious work and diligence is applied by the participant, lasting behavioral changes are unlikely to result. Hallucinogens will not do the work for people but may be used in conjunction with focused inner work to great benefit in the prepared. They are of great value for stimulating insight and giving people new perspectives on old topics.

(F) This statement implies a gross lack of understanding of plant based teaching in particular and shamanism in general.

(G) I suspect that it is neither the drugs or drug use but rather the state of expressly and unequivocally declared criminality that is largely behind lessened social participation by most Western drug users; although disgust and rejection may also be factors for some, just as drug induced lethargy may be for certain others.

(H) These elements have been found recurrent in my (a predominately white individual) experiences. My attempt has always been to establish a ritual setting and safe and supportive learning environment rather than a sterile clinical setting.

Suggested readings on psychological and psychiatric investigations of peyote and its alkaloids

(mainly from LaBarre)

Aleksandrovskii *et al.* 1936
Adler & Poetzl 1936 (coworkers in Boston Psychopathic Hospital Report)
Berlin *et al.* 1955
Bridger & Gantt 1956
Bromberg & Tranter 1943
Camisaca 1949
Cattell 1954
Cholden 1956
Chweitzer & Geblewicz 1938
Chweitzer *et al.* 1936 & 1937
Claude & Ey 1934
Crema 1953
Cucchi 1939
De Jongh 1945
Delay & Gerard 1948 & 1950
Delay *et al.* 1949a & 1949b & 1951a & 1951b
Denber 1955 & 1956 & 1957 & 1964
Denber & Merlis 1954 & 1955a & 1955b & 1956
Denber *et al.* 1954
Desoille 1938
Duc 1936
Endo 1952
Ey & Rancoulle 1938
Favilli & Heymann 1937
Feigen & Alles 1955
Fischer 1946
Fischer & Agnew 1954
Fischer *et al.* 1951
Franke 1934
Frederking 1953 & 1955
Freedman *et al*. 1958
Frisch & Waldman 1932
Georgi *et al.* 1949a & 1949b
Guillarmot 1897
Guttman 1921a [see also 1921b]
Guttman & Maclay 1936
Himwich 1956 & 1958
Hoch 1951
Hoch *et al*. 1952a & 1952b
Hoffer *et al.* 1954
Hollister & Hartman 1962
Hori 1937 & 1938
Isibasi 1937
Jantz 1940a & 1941 [see also 1940b]
Kant 1931
Kluver 1928 & 1942 & 1966
Krapf 1951
Landis & Clausen 1954
Maclay & Guttman 1941
Marshall 1936-1937
Matefi 1952
Mayer-Gross 1931 & 1951
Mayer-Gross & Stein 1926
McKellar 1963
Merlis & Denber 1956
Merlis & Hunter 1954
Moller 1935
Morselli 1936 & 1944-1945
Nevole 1947
Noteboom 1932 & 1934
Osmond 1957
Osmond & Smythies 1952
Palmieri & Lacroix 1941
Pap 1936b [See also 1936a]
Patzig & Block 1953
Pennes 1954
Rinaldi & Himwich 1955
Rinkel & Denber 1958
Rinkel *et al.* 1952
Roberti & Heymann 1937
Rotondo 1943
Rouhier 1925 & 1926 & 1927a & 1927b
Salomon *et al.* 1947 & 1949
Sava 1929
Schueler 1948
Simpson & McKellar 1955
Tayleur-Stockigs 1940
Szara 1957
Teirich 1954
Thale *et al.* 1950
Unger 1963
Waeber 1912
Wallace 1959
Wertham 1952a & 1952b [mescaline and pain]
Wertham & Beuler 1932
Wheatley & Schueler 1950
Wikler 1952 & 1954 & 1957
Wilcox 1898
Wolf 1952
Woolley & Shaw 1954
Zucker 1928 & 1930

On a side note, a short divergence in regards to mental illness, schizophrenia & endogenous chemicals

Taraxein

The entheogenic substances are repeatedly referred to as producing model psychoses. There are clear cut differences between the effects they produce and those experienced by psychotics. This is discussed in a variety of the papers we mention. We would like to mention that a protein called taraxein was isolated from the blood of schizophrenics and produced multiple but short-lived (a few hours) schizophrenic symptoms when injected into other people (in some recovered schizophrenics it produced lengthy effects).

This line of thought ran into trouble when some workers were unable to isolate the protein and find it active. Brimblecombe & Pinder as well as Hoffer & Osmond point out that it is a very labile protein and subject to degradation from improper isolation, handling and storage (including factors such as improper pH or even being frozen) which no doubt contributed to the lack of reproducibility on the part of some workers. In spite of the results being reproduced by a decent number of separate workers, research and interest into this area has dwindled if not disappeared.

It was received with great hostility when it first was presented, and this continued to plague it until work ceased in the 1960's, at least in part, because it stepped on the toes of the more popular thinking that was actively trying to prove that endogenous generation of hallucinogens produced schizophrenia. (Many workers immediately operated as if this was a proven fact; some amazingly STILL do.)

Its original hostile reception is very curious as Heath had documentation of catatonia and focal changes in electroencephalograms from the septal regions of monkeys which

were similar to what was observed in schizophrenics. He also had conducted meticulous double blind experiments which supported his proposal.

Furthermore, he was able to induce schizophrenic symptoms both in nonschizophrenic volunteers and in schizophrenics who had recovered. Except for some cases in the latter group, as mentioned above, the effects were reversible and short-lived.

There was some evidence that the active portion may be a small dialyzable molecule, or said molecule is a required activator. This is an area in need of further study. In spite of the opposition to it burying the proposal, it was subsequently confirmed by half a dozen independent workers. (All of which were largely ignored)

Physiologically and psychologically active proteins, especially emotionally or perceptually active and psychoactive proteins just weren't particularly known of or generally even considered in the 1950's. (It certainly is easier and tempting to think of things in terms of small and simple molecules but that is not how our understanding of the human machinery of consciousness is unfolding. **[Note 22]**)

See pp. 547-554, in Hoffer & Osmond 1967 for an excellent overview of this fascinating and generally forgotten topic. See also pp. 211-213 in Brimblecombe & Pinder 1975

This lapse of interest is unfortunate as modern protein and enzyme biotechnology has advanced rapidly in recent decades. As peptides (proteins) have been isolated and directly correlated to many elements of consciousness, including such emotional responses as fear of the dark **[Note 23]**, it seems that rather than battling unsuccessfully to prove hallucinogens are responsible for the experiences of psychotics, researchers would reconsider this area in more detail (endogenous hallucinogens may play a role but they are now widely held not to be the causative factor). Most up-to-date researchers have come to reject the schizophrenia/endogenous hallucinogen area as a dead issue (outside of Sitaram's work suggesting endogenous bufotenine secretion could be involved with some of the symptomology as is experienced by some types of schizophremics.)

The reasons are summarized in numerous papers we mention. In a number of cases this is clearly reflected in the title of the article. The basic point is that, while similar in the grossest possible generalization, a hallucinogenic state does not correlate with what is experienced in schizophrenia in any of its varied forms. [An interesting observation is that the experience produced from nalorphine has a much greater similarity to true psychosis; suggesting that a defect somewhere in the production of endo-opioids may be involved.]

It is my belief that endogenous hallucinogens will prove to be the causative agents for religious, visionary and spiritual experience, (or, at the least, be directly involved), all of which are also considered by many mainstream professional researchers to be very related to psychotic tendencies. Belief in "God" or the spiritual (non-physical) world is frequently considered and tabulated as a substantial component in identifying and diagnosing psychotic individuals. [God help the person in this country who actually HAS visionary experiences or lives a directly interactive spiritual experience.]

It is also our belief that the study of human consciousness, spiritual experience and the variety of religious experience, as viewed from a physiological and biochemical perspective of the machinery involved (i.e. the hardware and software that a human uses to enable them), is an important area of research. As long as restrictions exist on the potentially endogenous hallucinogens and related compounds, work in this important area of human consciousness will remain stymied.

Tolerance to mescaline

Humans and animals receiving daily doses were observed to develop tolerance by:
Hosko & Tislow 1956
Mercier & Dessaigne 1959
Saxena *et al.* 1962
Smythies & Sykes 1964
Balestrieri 1957
Balestrieri & Fontanari 1959
Wolbach *et al.* 1962
(Last 3 noted cross-tolerance to LSD, as did Freedman & Aghajanian 1959)
(from Kapadia & Fayez 1970)

Tolerance develops within 2 to 3 days and disappears within 3 days. (Anderson 1980 citing Jacobsen 1963)

Tolerance dissipates within 3 to 4 days, according to Kapadia & Fayez 1970.

In animals, tolerance was observed to develop both slowly and unevenly from one animals to the next. Rats given 10 mg/kg ip developed complete tolerance in 3 to 7 days. (Freedman & Aghajanian 1958)

Tolerance to mescaline does not develop as rapidly nor as quickly as that of LSD **[Note 24]** (Balestrieri & Fontanari 1959b)

Fischer 1958 found tolerance in dogs developed faster for somatic effects than for psychic effects. Erik Jacobsen claims tolerance for somatic effects is not as developed as for the psychic effects (from Anderson 1980) [One must wonder how 'psychic effects' in dogs was measured by Fischer...]

Tolerance to vegetative phase does not develop to same degree as psychic phase. [Kapadia & Fayez 1970 citing Freedman *et al.* 1958]

Dogs given 5 grams per kilogram of body weight dosages of dried peyote developed tolerance to the emetic effects during one year of daily ingestion. [Fischer 1958 points out that this corresponds to 100-150 mg per kilogram of body weight mescaline daily; citing Drill 1954.]

Dosages producing acute tolerance have no effect on development of chronic tolerance. [Kapadia & Fayez 1970 cited Freedman & Aghajanian 1959.]

Speck 1957 found no tolerance was developed to the bradycardia and hypoglycemia induced upon administration of large doses. (In rats.)

In her chronic administration studies she gave rats 50 mg/kg every day for a month and a half, and noted no tolerance for the parameters she studied except for sensitivity to noise.

Tolerance to fall in blood pressure due to mescaline administration (given hourly) was 60% of initial response upon second administration, 47% (3rd), 30% (4th) and 12% (5th) [Neff & Rossi 1963 cited Hosko & Tislow 1956.]

Tolerance was also studied by Murray 1977

There is no evidence of addiction or habituation. [Neff *et al.* 1964] Only a few investigators hold a different view, [such as] Crichtley [1931] (from Kapadia & Fayez 1970)

Almost all researchers state in unequivocal terms that addiction and habituation do not occur. Those few who apparently believe that it does, also present clear biases or preconceived prejudices against the use of hallucinogenic substances or else demonstrate a lack of familiarity with its effects. (Mescaline can actually be found equated with narcotics in some second-hand scientific sources and an alarming majority of popular press articles.)

Another problem is a disturbing misunderstanding of addiction on the part of a variety of mainstream authors. All too often the drug educators need factual drug education. Drug tolerance is too often equated with addiction **[Note 25]**.

While it is true that when taken over a period of several days it takes a larger amount each day to produce identical (similar) effects; this does not mean that any type of withdrawal (mental or physical) will take place when the drug is discontinued. Nor do any but the exceedingly infrequent individual have any desire for frequent use unless in a structured learning program which requires it.

The experience in itself is tiring and both physically and mentally demanding, exceedingly so at the higher dosage levels. Most people are thankful for the return to normalcy after a journey into the depths of their mind.

The point is not that it takes larger amounts to obtain the same effects but rather that tolerance develops so rapidly to the entheogenic effects that within a very few days it would not be physically possible for there even to **BE** the desired effect.

Normally if 24 hours is allowed to elapse between dosages a tolerance develops rapidly. In humans, LSD seems to produce the most rapid development of tolerance and psilocybin and mescaline are accordingly less.

Usually tolerance is back to baseline within 3 to 4 days after the drug is discontinued.

Even habitual use of peyote [such as encountered in traditional religious usage where there sometimes is weekly or monthly visits] does not produce tolerance or accrued dependence. [citing Slotkin 1952 & 1955]

Many specialists feel peyote should not be considered a narcotic drug. [citing Anonymous 1959 and references cited therein.]

In Lyman Benson's 1982 *Cacti of the United States and Canada*, he claims it to be a psychological dependency if people "*let their life's activities become centered around its religious use*" We suspect that the Huichols and Tarahumara might take issue with him. [We wonder how and if he perceives this "*psychological dependence*" to be different in substance from any other deeply held religious or spiritual system of belief.] **[Note 26]**

Cross-tolerance

Cross-tolerance **[Note 27]** between mescaline and LSD or Psilocybin has been noted by a number of researchers.

See: Appel & Freedman 1968
and Balestrieri 1957
and Balestrieri & Fontanari 1959a
and Freedman & Aghajanian 1959
and Freedman *et al.* (1958
and Wolbach *et al.* 1962
also:
(between LSD and Psilocybin): Abramson *et al.* 1960 and Isbell (1959 & 1962
(between DMT and LSD): Rosenberg *et al.* 1964

LSD tolerance is said to make one very resistant to the effects of mescaline but mescaline tolerance gives a lesser degree of tolerance to the effects of LSD. (Balestrieri & Fontanari 1959b)

There is no reported development of cross-tolerance to the Belladonna alkaloids, or to Phencyclidine (PCP) or to compounds such as Ditran (JB 329). (See: Balestrieri 1960 and Balestrieri & Fontanari 1959a and Wolbach *et al.* 1962)

Nor is there development of any tolerance between the major hallucinogens and marijuana (*Cannabis*) implying a different mechanism of action. (Silva *et al.* 1968 and De Melo *et al.* 1973)

However, not only related and entheogenically active compounds such as MLD-41 (1-Methyl-LSD), but also related yet otherwise normally non-hallucinogenic compounds such as bromlysergide (2-Bromo-LSD or BOL 148), LEP-57 (Lysergic acid ethylpropanolamide) and 1-Methyl-*d*-lysergic acid butylamide all show a cross-tolerance with LSD. (Balestrieri & Fontanari 1959b reported that tolerance to BOL 148 does not produce a marked resistance to the effects of LSD-25[)]

See: Appel & Freedman 1968
and Balestrieri & Fontanari 1959a

[LEP-57 and MLD-41 also showed development of cross-tolerance with Psilocybin.] Ott 1993 notes that while LAE-32 (Lysergic acid ethylamide) showed a weak cross-tolerance with LSD it showed none with Psilocybin (See Abramson & Rollo 1967).

Cross-tolerances with mescaline was also reported with the inactive compound 3,4-Dimethoxyphenethylamine and the stimulant alkaloid N,N-Dimethylmescaline.

Nieforth 1971 cited Smythies *et al.* 1966.

In a curious observation concerning LSD and mescaline cross-tolerance, a metabolic origin for the cross-tolerance was found unlikely as pre-treatment with mescaline had no effect on the levels of LSD found in the brain.
Winters 1971

Mescaline does not show any cross toleramce with amphetamine.
Colasanti & Khazan 1975

Interactions between hallucinogenic drugs

In the absence of developed tolerance, LSD usually causes an accentuation of the effects of other of the major hallucinogens. The normally nonhallucinogenic **[Note 28]** antiserotonin agent UML-491 (1-Methyl-*d*-lysergic acid butanolamide or Methysergide) was shown by Sai-Halász 1962 not only to increase the effects from specific doses of DMT but also to enable normally ineffective doses of DMT to be active.

Interestingly Salmoiraghi & Page 1957 reported that the normally entheogenically inactive BOL 148 could be enabled to be active **[Note 29]** when given with large doses of serotonin, another hallucinogenically inactive compound that shares a structural similarity to the indolic hallucinogens.

Gracie & Zarkov have reported on the enhancement of DMT effects (in potency and duration) by co- or prior administration of LSD, and this has been supported by our bioassays involving LSD combined with smoked DMT free-base or orally consumed ayahuasca.

Similarly, our bioassays determined a similar relationship (amplification of dosage response) between 5-MeO-DMT and prior LSD administration. In all cases the amount of LSD used was kept to a minimum so that the interaction could be judged in terms of the compound it was used to augment. In only one case was a dosage of more than 75μg used.

Pre-administration of Psilocybin also causes an exaggeration of DMT effects, but without a lengthening of DMT's duration. The bioassays of some close friends indicates that LSD administration produces both an enhancement and an increase of duration of Psilocybin mushrooms when used in conjunction with each other. See our works on DMT and Psilocybin for more information.

The only time we have combined mushrooms and cacti, the different wave natures seemed to clash and we have not re-evaluated the mixture. It has been our intention to evaluate the effects of DMT after pre-dosing with mescaline but every time we are actually there it has not seemed appropriate.

Experiences with administration of LSD after pre-dosing with cacti are mentioned elsewhere here; under drug interactions.

Some odds and ends

Using a flicker fusion device, Salomon and coworkers (Salomon *et al.* 1949) found changes in color perception, especially in the green region of the spectrum. They also found changes in visual imagery that led them to postulate mescaline did not simply affect color perception but also more central processes necessary for recognition and imagery.

Numerous researchers have devoted themselves to trying to link the color and visual effects of mescaline with physical structures in the eye or the retina itself. Szuman 1930 thought that what was being observed was differing densities of mescaline itself in the retina.

There is some evidence that at least a few of the visually perceived changes are due to the perceptual organs themselves, as evidenced by the occurrence of spontaneous discharges in the retinal ganglia of tripping cats. However, this is insufficient to explain the vast majority of perceptual changes, including most of the changes in visual perception.

Variously these fractal or paisley images are called *phosphenes* (in psychology) or *entoptic imagery* (in ophthamology) or simply (and rather incorrectly) *hallucinations* (in psychiatry)

Similar 'patterns-and-colors' (in drug user parlance) can be induced by a variety of means including gazing for prolonged periods into fires, rubbing the eyes, passing low-voltage square waves across the temples or exposing open or closed eyes to bright flickering light at or approaching alpha or theta rhythms as well as the use of sound/light machines.

This is caused by the tendency of brainwave activity to follow the frequency of flickering light (a phenomenon known as *entrainment*), particularly if said frequency is in the alpha range. (One of the earliest descriptions of experimentations with the phenomenon of deliberately producing patterns-and-colors was by Ptolemy around 200 AD using a spoked wheel and the sun.]

[Many references on this subject are elsewhere here]

An important observation was made by William Grey Walker; the effects induced in the brain by flickering lights are not limited to the visual imaging areas but spill over into apparently unconnected areas of thought and perception (as followed via an EEG).

Perhaps the most glaring indication of the failing of the search for the origin of colored visual phenomenon in the peripheral sensory organs is the fact that blind patients with enucleated eyeballs can also experience these visual hallucinations (Jacobsen cited Apter 1957a & 1957b).

Another theory attributing them to an enhancement of responses to peripheral stimuli can be similarly dismissed with the observation that sensory deprivation does not diminish perceptual disturbances or hallucinations (Jacobsen cited Olds *et al.* 1957) but rather substantially intensifies them and extended periods of sensory deprivation can produce them on its own.

This evidence suggests that the exact site of action is central in origin but it is not yet well elucidated. Areas in the brain where distribution is highest suggest many things but nothing is clear yet due to a lack of adequate investigation.

A fascinating study was published by Frederick W. Hebbard and Roland Fischer, in 1966, where it was found that dramatic changes occurred in the frequency of saccades (one of the forms of involuntary small eye movements) in subjects given hallucinogenic drugs. The increase in saccades paralleled the peak of hallucinogenic action.

While there is not sufficient data to be certain, we were fascinated by the differences between acid, psilocybin and mescaline which seemed, to us, suggestive of a reflection of the differences in the onset, development and progression of the 'peak', as well as the different wave natures, of the three "intoxications".

Miscellaneous drug interactions with mescaline

Mescaline and LSD combined produced an enhancement of primary suggestibility; Sjoberg & Hollister 1965. [Lysergic acid derivatives were indicated in Borsy *et al.* 1964 to inhibit some components of mescaline's effects in animals.]

(from Kapadia & Fayez 1970)

LSD was evaluated in combination with Peyote and also with San Pedro. In both cases the effects were roughly the same. Onset of LSD followed the usual time course (~40 minutes) and the first effects were a pronounced sleepiness and the slightly irritating appearance of a visual pattern **[Note 30]** perceived as a dissonant resonance between the two chemicals. Once the LSD had become fully active, it negated most of the visual disturbances as well as the patterns and colors of the mescaline. The feeling was very stimulated **[Note 31]** and the subsequent experience lasted in excess of 12 hours with San Pedro and 18 with Peyote. In both cases a strong dose of cactus had been taken first and, prior to the LSD administration, the course of full mescaline effects had been allowed to develop for 4 hours and 2 hours respectively after its onset (in both cases onset of effects occurred 3 hours after ingestion of the cactus.) Both had been taken as citrate-acidified expressed juice from fresh frozen cacti. The Pedros were taken in fall and the Peyote in winter.

Case 1993 & 1994 Unpublished bioassays.

Crystal mescaline was evaluated with LSD-25 (est. 150 μg as 2 pieces of unmarked blotter) In this instance (and in contrast to the two bioassays just mentioned) the LSD was allowed to take full effect first and around an hour or so into the peak approximately 250 mg of an unspecified white salt (small needles) of plant-sourced mescaline was eaten without water. Effects (like a sparkling surge of spreading energy arising in the gullet) were noticed within minutes and from around 25 minutes up to the 3 hour mark time dilation was substantial. The result was an increase in the effects of the mescaline but without any unpleasant over-intense spots. The perception of self effortlessly and comfortably expanded to a geological scale; the sense of self awareness merging in being with an aquifer, a large storm system and the resulting large-scale flooding surface water that seemed to burst out of the fingertips. (This was in the midst of a huge storm system in the outer world that was then providing the area with a "*thousand-year*" flood.) Burn-out the following day was pronounced. There was no noticeable increase to the duration of the LSD. The mescaline peaked around 6 hours after it began and approximately 4 hours later there was a rapid transition into sleep.

Friends 1998 Unpublished bioassays.

LAMID = Ethyl,isopropyl-lysergic acid = "LSD lite"

Pretreatment with 1-Methyl-1,2,5,6-tetrahydropyridine-N,N-diethyl-carboxamide (THPC) potentiated the effects of mescaline but inhibited the effects of LSD. [See comments on mescaline conjugates under mechanism of activity.]

Smythies *et al.* 1970

Pre-treatment or co-administration of Piracetam (Nootropil) [800 and 1200 mg levels were separately evaluated] produced marked potentiation of mescaline's effects. Both times the combination was evaluated with the mescaline taken as acidified expressed juice from fresh San Pedro, intending to approximate a 600 mg. dose in a 70 kg. human. The effect was not homogenous. It increased the intensity, and the auditory and bright light components, of roaring wind sounds and rushing. There was a marked accentuation to the visual distortions and disturbances characteristic of peyote. There was no significant increase in colored phenomena. Subjectively it appeared to roughly double the intensity.

Case 1993 & 1994 Unpublished bioassays.

The oral administration of a subthreshold dose of mescaline sulfate (250 mg of crystalline material) 40 minutes after preadministration of 1200 mg of Piracetam seems to support this report. While, as had been reported earlier, the increase was not homogenous **[Note 32]**, the synergy clearly pushed the effects past threshold. Also as reported earlier, it approximately doubled the effects.

Justin Case 1999 (Unpublished bioassay; personal communication)

Caffeine helps maximize both rushing sensations and perceptual disturbances from mescaline and even more radically those of psilocybin mushrooms (and also 4-Hydroxy-DIPT). (Caffeine ingested, after full onset, as a strong freshly brewed cup of coffee.)

We have noted similar effects from ginseng ingested (after onset) as a slow brewed tea (in a ginseng pot) made from Shiu Chu roots with Chinese licorice, aged citrus peel (Chen pi), Ligusticum (*L. lucidum* or *L. wallichii*) and Chinese cinnamon (Kuei Pi). (Ingestion of Licorice roots is specifically contraindicated with use of MAO inhibitors.) Chewed American or Chinese roots also had a similar synergistic effect, as has an herbal mixture called 'Second Wind' which is a powder consisting of powdered ginseng roots, guarana and bee pollen. Oral elixirs of Astragalus, Pantocrin and Panax Ginseng also seem nice additions if one enjoys maximization.

We cannot vouch for the safety of any of these combinations. The fact we are still healthy and living does not constitute proof.]

Harmine was said to augment the effects of mescaline in animals by Kapadia & Fayez 1970 citing Hoshikawa 1962

While not yet obtaining a copy of Hoshikawa 1962, two interesting points were made in the 1964 Chemical Abstracts.

One is that mescaline accelerates conditioned avoidance responses in rats and was antagonistic to many tranquilizers' effects on conditioned avoidance response. Harmine (termed an extrapyramidal poison) augmented mescaline's acceleration of conditioned avoidance responses.

The other is that mescaline showed a marked antagonism to harmine in terms of both motility and tremors.

Use of MAOIs with mescaline

There are many statements in the literature concerning the danger of mixing phenethylamines with MAO inhibitors. This is similarly true for cheese, alcohol, pickled foods, smoked foods, many herbal products and a **long list of common food items** that can cause this potentially fatal reaction when mixed with prescription MAOIs. The emphasis on phenethylamines, to the exclusion of everything else, is a most peculiar bias.

This is due to the potential of a 'hypertensive crisis'.

There is at least one case on record of an emergency room admission involving a prescription MAOI combined with ecstasy. While this is not a good combination, it should be pointed out that no deaths have been reported from this particular combination (at least none that I are aware of; if in error, I welcome being corrected.). Clearly the effects were found quite distressing by the people who combined them, as well as extremely alarming to those who observed them.

I do not suggest this combination, and while strongly discouraging it, I do want to point out that the published assertion that combining Ecstasy and MAOIs will "*kill your ass real quick*" are **at the very least** hyperbole [See Note 1].

Perhaps it is pertinent to note that there have been a several instances where several people have deliberately combined the reversible MAOI moclobemide with MDMA (similarly with 2C-B) No adverse reactions were reported but this is still a relatively unexplored area based largely on Anonymous reports.

One case, appearing in the literature, was reported in the 1987 *Journal of Toxicology. Clinical Toxicology*, authored by Martin J. Smilkstein, Susan C. Smolinske and Barry H. Rumack; entitled "A Case of MAO Inhibitor / MDMA Interaction: Agony After Ecstasy." It is interesting reading although it might be added that this person combined MDMA along with their prescription (irreversible) MAOI as well as alcohol..

Several points need to be made concerning this case:

1) Diphenhydramine (Benadryl) given to reduce tonicity was ineffective.

2) The care given to the patient was mainly supportive.

3) The MAOI was ingested approximately 1 hour after the MDMA

4) Recovery was uneventful (3 hours after admission; 7.5 hours after the MDMA; 6.5 hours after the MAOI).

4) An unspecified amount of alcohol was consumed at some point prior to the emergency room visit resulting in a blood alcohol level of 14 mg per dl. No details were included concerning the actual amount or form consumed or the time frame in which ingestion occurred.

The potential of danger from sharp and high peaks of blood pressure induced from this combination is real. (Possibly inducing such undesirable and potentially fatal things as aneurysms.) [This reaction results from an excessive release of serotonin and noradrenaline. Potentially serious problems resulting from such MAOI and sympathomimetic amine interactions include hypertensive crisis, hypertonicity, severe hyperthermia and intracranial hemorrhage. See Smilkstein *et al.* 1987]

However, while not recommending careless or random experimentation, the automatic assumption that the danger also applies to harmine (or moclobemide) has not been proven. The presented notion that it is better to err on the side of caution is indeed a good one to serve in practice but does not substitute as proof.

[Jonathan Ott also discusses this and has been taken to task as being irresponsible for doing so, quite unjustifiably, by some good intentioned but underinformed individuals with publication access.]

Several things indicate that such a blanket assumption is in need of a closer evaluation.

First, prescription MAO inhibitors are mostly nonspecific, meaning they inactivate all forms of MAO. Harmine is highly specific, acting only appreciably on one type of MAO (MAO-A). Similarly mescaline apparently exerts its effects only on one subclass of postsynaptic receptors, the 5-HT_2 receptors. It does not share the broad receptor interactions that are observed in drugs like LSD, or even Ecstasy

Second, many MAOIs are non reversible and thus long lasting. They actually covalently bond to MAO, not simply inactivating them but requiring the body to synthesize entirely new enzymes as their replacement. The action therefore persists for weeks; even after the drug is discontinued. (This lengthy time to clear the system is also true for SSRIs)

Harmine is highly reversible as it does not covalently bind to the enzyme and its effects last only a few hours. Cheese reactions to harmine have been demonstrated not to occur [The limited data points seem to support this See Note 2] (Drugs which do cause this dangerous interaction affect both MAO-A and MAO-B.)

(Caution should be urged in combining any suspected food or drug, most especially alcohol, with any MAOI, even with harmine. But I would urge caution not rampant paranoia.)

However, the most important point that could possibly be made on this subject has been already made by Jonathan Ott. Namely, phenethylamines such as mescaline *ARE* known to have been nonfatal in combination with harmine by humans.

Jonathan Ott 1994 *Ayahuasca Analogues*, mentions that a European psychonaut had conducted two separate trials combining mescaline with 150 mg. of harmaline hydrochloride (2 mg/kg) [Later determined to actually be a 2:1 mixture of harmaline-harmine]. They obtained sub-threshold effects using a dose of 60 mg. (0.78 mg/kg) of mescaline hydrochloride and definite threshold effects with 100 mg. (1.32 mg/kg) of mescaline hydrochloride. [They had also combined harmaline with 2,4,5-trimethoxyphenethylamine for no effect.] See also Shulgin & Shulgin 1997, pages 451-452, for more details.

Similarly Claudio Naranjo 1973 [in Harner (ed.); page 180] reported on the visionary experience of a subject who had combined "*a fairly large amount of harmaline with the addition of mescaline*." [Amount was not specified for either alkaloid.]

Also, in Jim DeKorne's 1997 *Ayahuasca Analogs and Plant-based Tryptamines*, several bioassays were described in which the psychonauts combined harmala alkaloids with San Pedros. All reported a rough doubling of effects and, to be expected, the introduction of a sedative component. (This sedative action is totally lacking from moclobemide, lending greatly to its praise by many as regards its applications in ayahuasca analogs.)

Similarly, a reader (requesting anonymity) has described powerful experiences using *harmala* seeds and a concentrated extract of *T. peruvianus*. This mixture was bioassayed by the reader and his wife multiple times. (Interestingly, this person's motivation seems to be using less cactus for achieving effective results) Since then, I have heard from a number of others using the same approach.

Letters sent to the *Entheogen Review* and also Rätsch's ayahuasca analog admixture list indicates that even Peyote has been combined with harmala alkaloids.

While this demonstrates that some people have combined the two successfully with no apparent toxic effects this still is not proof that it is safe. There is presently a small pool of successful bioassays but it is not large enough to predict adverse reactions. Only once the number gets into the hundreds of thousands of such REPORTED experiences will we be able to get a good overview. Until then, a slow and cautious approach is urged, with all practitioners attempting to share their experiences, good or bad, however they can.

An important point concerning Smilkstein's observations concerning the MDMA/MAOI interaction which ended up in the emergency room was that, despite showing common signs of MAOI overdose (slurred speech, diaphoresis, hypertension and hypertonicity), and despite their attempted treatment being ineffective, their patient showed a complete and uneventful recovery after a 5-6 hr total duration of adverse effects.

Another point is that this person's reaction involved an irreversible MAOI. And also an unspecified amount of alcohol.

Multiple human evaluations involving the combination of the reversible MAOI moclobemide with 2C-B and also with MDMA have occurred and apparently none have resulted in any adverse reactions. There is one report of a bad experience when a person combined it with 150 mg of DMT but 150 mg of DMT can and should be expected to be an unpleasant dosage level for most people even without an MAOI.

Accounts of synergy between meclobemide and cocaine, and also methamphetamine, have also been reported. This is still fairly new territory and should be approached with some caution

This does not suggest reckless or casual experimentation is in order, just that the aforementioned drug combinations have occurred without apparent problems. This is still fairly new territory and should be approached with some caution.

If someone doesn't do it first, the plan is to evaluate a 200 milligram mescaline equivalency of San Pedros or crystalline mescaline with harmine/harmaline isolated from *Peganum harmala*. The question, of whether potentiation of a sub-threshold dosage of mescaline by harmine would be enable it to be fully active, is really intriguing.

Ott 1994 also notes that an *Epiphyllum* species and an *Opuntia* species are used by the Peruvian Sharanahua as ayahuasca additives. Both are mentioned in Rivier & Lindgren's 1972 listing of ayahuasca admixtures **[Note 33]**.

Rivier & Lindgren mention that the Sharanahua call the *Opuntia* "*tchai*" and consider it to be hallucinogenic. It is apparently cultivated for this purpose. The plant was said to have been originally brought to Marcos (Peru) by the Amahuaca living on the Inuya River. No voucher was made nor has chemical analysis been performed. The mixture is said to be very strong and to never be used medicinally.

Bianchi & Samorini 1993 found that its current use as an ayahuasca additive has apparently died out for being "*too intense*" and it's raw juice is now used on its own for hallucinogenic purposes, by some Shipibo and Amahuaca shamans. A photograph of the plant can be found on page 38 of their article.

More recently R. Stuart went to Peru to visit the source of this information. Following the contact information he was provide with by Bianchi, he was able to locate the plant, obtain herbarium vouchers and positively confirm its identity as *Brasiliopuntia brasiliensis* (AKA *Opuntia brasiliensis*) After multiple guided ingestions yielded no effects beyond what was produced by the tobacco added by the shaman, Stuart independently ingested a much larger amount and included a good dose of *Peganum harmala* seeds, He surmised that ethnobotanists may have been provided with false information. See the *Opuntia* species entry earlier and also Stuart 2002 for more details

Small amounts of mescaline have been identified in a number of *Opuntia* species at levels too low to normally be physiologically active. See under *Opuntia* in Chapter 4. [Rivier & Lindgren also refer to Lewin 1888.]

Very few members of the enormous genus *Opuntia* have been analyzed. With the exceptions of hordenine being observed in the Uruguayan *Opuntia aurantiaca* Lindley and *O. maldonesis* Engelmann, (DeVries *et al.* 1971), and 3-methoxytyramine in the Chilean *O. subulata* (Mühlenpfordt) Engelmann, (Meyer *et al.* 1980, all *Opuntias* analyzed have been North American species (some of which occur as far south as Central America)

One plant I would suggest, to those in a position to analyze it, is the horticulturally available Chilean *Opuntia clavarioides* Pfeiff.

Many *Tephrocacti* resemble a number of depictions of spotted and small jointed *Opuntias* found depicted in ritual or supernatural context on ceramic vessels, and should be targeted for assay. The longer leafed and spined representations are far more problematic as numerous *Opuntias* take this form. Interestingly, the small globose species of *Opuntias* have, thus far, shown the highest alkaloid levels.

When describing the work of Federico Falco and Sebastian Hilburg, who examined a number of Argentinean *Opuntias*, the 1949 Chemical Abstracts notes; "*The presence of alkaloid was demonstrated in every sample*." No further analysis or characterization appears to have been done.

Only one leaf of the *Epiphyllum* species is used as an additive to ayahuasca or else its unboiled juice is drank along with the prepared hoasca. The Sharanahua are said to call it "*pokere*" and the Culina to refer to it as "*wamapanako*". Homer Pinkley 1969 notes that there is an herbarium voucher of the *Epiphyllum* (made by L. Rivier & I. Rüff) in the Economic Herbarium of Oakes Ames at Harvard and the Sharanahua call it "*pukara*".

On page 12 of the 1997 Summer Solstice issue of the *Entheogen Review* a reader noted that in the aphrodisiac section of "*Mastering Herbalism*" [by Scott Cunningham?] it was claimed that "*high doses*" of a water extract of *Epiphyllum oxypetalum* could cause hallucinations.

Epiphyllum spp. have not been determined to contain mescaline but none appear to have been examined in detail in recent times.

They are quite popular for their flowers. Intense and competitive hybridization has focused on this genus.

Epiphyllum ackermannii (Haworth) Britton and Rose was found by Arthur Heffter 1898 to contain alkaloid(s). Evidently no one has cared to follow up on this and identify it or them.

Heffter's turn of the century screening is the sole entry in the literature I have been able to examine.

Other epiphytes may also need some scrutiny Alkaloids have been noted present in several *Epiphyllum* species in Dr. Shulgin's *THIQ/ PEA Appendix 12/26/95*. The species mentioned are *E. phyllanthus* and *E. truncatum*. Identity of the contained alkaloids is currently unelucidated. An analogous picture exists with his mention of *Rhipsalis baccifera*, *R. cassytha* and *R. warmingiana*, as well as *Schlumbergera bridgesii* and *S. truncata.*

Heffter also observed but did not characterize alkaloid(s) in the epiphytic *Schlumbergera* [*Schlumbergia*] *russeliana* (Gardner) Britton and Rose. An similar picture exists with Lewin's 1894 observation of a poisonous factor, he *assumed* was an alkaloid, in *Rhipsalis teres* (Vellozo) Steudel (as *Rhipsalis conferta*).

Selenicereus grandiflorus (L.) Britton & Rose (i.e. *Cactus grandiflorus*) had 2% of an uncharacterized alkaloid named cactine isolated from it by F.W. Sultan in 1891.

It has apparently been prescribed as an herbal cardiotonic (and still finds use in homeopathy).

Selenicereus grandiflorus has more recently been variously reported to contain hordenine and/or 0.3% tyramine (Petershofer-Halbmeyer *et al.* 1982 for the first alkaloid, and Wagner & Grevel 1982 for the second) *Selenicereus pteranthus* was also reported to contain hordenine by Petershofer-Halbmeyer and associates.

An unidentified amine was reported in the leaves of the "Christmas Cactus" *Zygocactus truncata* Schum. by Wheaton & Stewart 1970 on page 247.

While mescaline itself has not been identified in either plant, it IS noteworthy that when alkaloids do occur in cacti it is very often as phenethylamines.

Many of the most commonly reported ones have far greater pressor effects than does mescaline. This factor also holds true for peyote alkaloids other than mescaline, most of which are far more toxic and some of which show greater pressor effects than does mescaline. Further, they would interact with a broader range of receptors, are present far more commonly in physiologically active concentrations than mescaline is and, if using the exact same rationale currently contraindicating mescaline, would pose considerably more risk if combined with a Monoamine Oxidase inhibitor.)

Due to the use of the grass *Phalaris arundinacea* as a component of modern ayahuasca analogs, it is almost certain that hordenine, a not infrequent alkaloid present in decent amounts in numerous strains of this species, has been ingested as a component of brewed ayahuasca. While this is a subject that is unlikely to have generated any documentable proof, a disturbing percentage of its consumers appear oblivious to the fact that a number of distinct chemical species exist in this species and, overall, relatively few of its suppliers have any real clue what is in the generic version of this species of grass they sell seeds for. As a result a wide variety of *Phalaris* species and strains are being grown and ingested, often without the desired results.

On the other hand, an increasing number of people DO know what they have and focus on propagating the better strains. In most cases they will be named but some appear to be in-house strains selected based on bioassays.

A variety of mostly phenethylamine type alkaloids, including mescaline and β-hydroxymescaline, have been detected, also in similarly low amounts, from a number of the more primitive epiphytic cactus species. [i.e. *Pereskia* spp. (See in Ch. 4; "Mescaline Containing Species.")

β-hydroxymescaline is thought to be inactive as a hallucinogen but has apparently seen no evaluations in humans (at least none that were published)

It has been determined to be pharmacologically active, but not hallucinogenic, using animal models.

Similarly a *Pereskiopsis* sp. has been found to contain a variety of alkaloids including low concentrations of mescaline. [In spite of their primitive nature (as with *Pereskia* they also still bear distinct leaves), *Pereskiopsis* species are more closely allied with *Opuntias* than with *Pereskia*.]

Administration of 100 mg. Ritalin (methylphenidate) markedly enhanced the pleasurable components of 250 mg. of crystalline mescaline. It did not produce any dramatic visuals but rushing and a clear minded euphoria was pronounced. A peaceful stimulation with a 'God-like' feeling but without delusions of grandeur perhaps best describes the sensation.

Trout 1974 Unpublished bioassays.

Deniker 1957 describes the use of a methamphetamine and amytal combination to block the mescaline state. The amphetamine supposedly acted on the perceptual disturbances while the sedative effect of the barbiturate "*seems to have a sedative action on the mescaline-induced state*". His reference was Hoch 1955. [Dr. Hoch tested this on hospitalized psychiatric patients as is the far too usual approach. As have many of his peers, psychiatric patients seemed to be regarded a private pool of human guinea pigs upon which was evaluated a wide variety of drugs, including many powerful hallucinogens **[Note 34]**.]

His experiments were described by him as determining that methamphetamine (calling it by the trade names, *desoxyn* or *pervitin*) lessened perceptual disturbances in psychiatric patients he had deliberately given mescaline or LSD (It was claimed to enhance powers of concentration). Amytal **[Note 35]** had different effects but similar results and he found the two together worked even better (See also Pennes 1954). (Other people have reported giving Dexamyl; i.e. dextroamphetamine combined with amytal.)

Amytal is specifically recommended (and highly effective) for high acute- or chronic- dose cocaine poisoning but we have never combined it with mescaline.

Barbiturates and, even more markedly, narcotic analgesics do 'take the edge off' LSD. They do not cancel the hallucinogenic effects but add a warm euphoric element which to outside observers might be interpreted as reducing the effects, as lethargy or inactivity becomes pronounced. In the case of the barbiturates there is more of a foggy feel to it. Narcotics and synthetics **[Note 36]** have less of a fog and more pleasurable elements. Pentazocine (Talwin) and meperidine (Demerol) have a rush that feels much "colder" than opiates **[Note 37]** (morphine) and semi-synthetics **[Note 38]**. They do not cancel the hallucinogenic action but do enhance the pleasurable components and decrease introspection.

I also have never (knowingly) combined methamphetamine with mescaline.

Methamphetamine combined with LSD has been found to radically ***amplify*** the visual hallucinations as well as additionally introducing strong and very disorienting auditory hallucinations.

The combination of LSD and methamphetamine was sampled a small number of times during my high school years (probably less than 6). There seemed a marked difference in effects depending on order of administration, but neither decreased the effects nor diminished perceptual disturbances. If the speed was done first there was far more distortion (to both the visual and the auditory components) and bodily dissociation (sometimes only partial), both being severe and pronounced. If the acid was taken first, there seemed more emphasis on a simple amplification of the LSD effects (with a substantial auditory component being added.)

Coadministration of cocaine with LSD had a similar difference in response depending on which was ingested first. (The form of cocaine was usually uncut Merck pharmaceutical flake.) If LSD was ingested on top of cocaine the effects seemed rather hellish as opposed to a wondrous and glowing amplification if administered (snuffed) after the LSD was in peak.

There may be a sound physiological basis behind our experience but so far the only data we have located is that prior administration of a variety of drugs, including amphetamines, substantially decreases the uptake of ingested mescaline into the primary tissues it targets (cortical fraction, lungs, liver and kidneys). (Aboul-Enein 1973 cited Denber & Teller 1968)

A combination of heroin/cocaine/PCP administered during the peak of an LSD experience is a dramatic, pleasurable and positively religious experience. Similarly with the smoking of heroin during an LSD peak. We do not recommend these combinations but did try them during the early 1970s. Dosage levels are critical. Too much is very unpleasant.

Lophophora williamsii

I have not used (or wanted to use) narcotics, cocaine or methamphetamine since the mid-1970's and can offer no other evaluations or observations concerning them. I consider them to be substances that are best avoided. [I only mention this because we find the assertion that amphetamines would diminish perceptual disturbances of mescaline and LSD very odd and, in the case of LSD, to be somewhat contradicted by my experiences.]

The simple addition of PCP to LSD always added a fogginess that was considered to be experientially counterproductive and to diminish some of the better ideation aspects of LSD. Similarly ketamine was always a better addition after the peak of the drug had passed. Ketamine following mescaline is both amplified and prolonged.

Psychic effects of mescaline in man can be inhibited by application of chlorpromazine and meretran. Kapadia & Fayez 1970 cited Fabing 1955 and Schwartz *et al.* 1955.

Thorazine has been commonly (and effectively) used in cases of bad reactions to LSD. However, there have been clinical reports of death (occurring in humans) attributed to the administration of Thorazine when used to treat bad reactions produced by large doses of the mescaline analog DOM (STP).

Chlorpromazine subsequently was shown to be a better choice in cases involving mescaline or the hallucinogenic amphetamines. A number of high dose STP cases were successfully helped with chlorpromazine. (See Shulgin 1977.) [Piperadrol was reported effective in cases involving mescaline.]

Mescaline inhibits the oxidation of sodium lactate, pyruvate and glutamate in minced guinea pig brain, but had no effect on sodium succinate oxidation **[Note 39]**. (Quastel & Wheatley 1933)

[Fischer points out that Quastel and Wheatley's experiment involving 0.12% mescaline far exceeded the recommended concentration of a drug added to tissue systems *in vitro*.]

Based largely on this and his own observations **[Note 40]** Scheuler 1948 used succinic acid antidotally for mescaline in humans. Reportedly hallucinations were reduced in complexity of design and intensity of color.

(from Neff & Rossi 1963)

According to Deniker 1957, this was confirmed by Delay *et al.* 1950

Delay and coworkers found that 0.5 grams/kg/ip of sodium succinate afforded complete protection to the effects of lethal doses of mescaline that were given iv to mice. They determined that 200 mg/kg of mescaline iv caused instantaneous convulsions leading to death and that this could be prevented by the administration of sodium succinate.

Fischer 1958 (and Stevenson & Sanchez) mentioned that Scheuler's work involved a total of four medical students as volunteers.

A later duplication was attempted by Stevenson & Sanchez 1957; using 12 volunteers from the staff of a Department of Psychiatry without using either double blind controls or placebo experiments. Their experiment produced inconclusive results.

Using 12 volunteers (from the LSU staff of the department of psychiatry and neurology and medical students from the School of Medicine), Stevenson and Sanchez attempted to see what effect sodium succinate had on mescaline.

All subjects were given 400 milligrams twice, once with sodium succinate. Anywhere from a week to several months were allowed to elapse between the two observations.

They used doses of a 30% sodium succinate solution intravenously. Doses ranged from 10 to 39 grams. Most people received 12 to 18 grams. It was administered slowly over the course of 30 to 90 minutes. (Rapidly injecting the sodium succinate was met with complaints of pain in the arm being injected.) Nausea was a frequent response to the injection. Vomiting occurred in at least some of their subjects.

It was found to diminish the effects of mescaline temporarily. Additional injections were also found to do the same thing. In all cases the effects wore off within an hour or two and the mescaline effect returned although milder than before the administration of sodium succinate.

A number of the subjects complained as the succinate was found less pleasant than the state they were in before being given it.

Side effects, other than those already mentioned, included increased respiration, occasional coughing and complaining of an unpleasant salty taste, marked flushing of the face and later of the neck and extremities. Hands and feet were said to be especially affected. The subjects usually felt warm and often sweated considerably. Almost always the injection was followed by a feeling of strong physical fatigue. The effects were said to frequently cause mild to moderate discomfort and anxiety in some subjects.

There did not appear to be any decrease in the duration of the effects of mescaline just a lessening of effects for an hour or two after the sodium succinate was given.

Stevenson & Sanchez 1957

Pinschmidt *et al.* 1945 reported that a common side-effect is a "*transient feeling of suffocation*" following injection.

An odd note:

Ingestion of a small amount of fresh *Anagalis arvensis* leaf, a leaf of fresh basil and a serrano pepper eaten at the end of a peyote experience (at an early morning breakfast) induced extreme and profuse sweating, far in excess of the either the pepper or the Scarlet Pimpernel's normal diaphoretic action. It was not unpleasant but surprising.

Assorted drug interactions reported in animals

Mescaline prolonged and potentiated the analgesic effect of morphine in mice. Kapadia & Fayez 1970 cited Sigg *et al.* 1958.

Maffii & Soncin 1958 found that morphine produced a potentiation and prolonged the effects of mescaline in mice at moderate doses and was antagonistic to some of the effects at high doses. Morphine was also found by Wikler 1954, to be ineffective at relieving anxiety induced by mescaline.

It was also found ineffective against mescaline induced mortality in mice by Plotnikoff & Washington 1958.

Deegan & Cook 1958 reported that mescaline was antagonized in mice by codeine, meperidine, methadone and morphine.

Inhibition of aldehyde dehydrogenase by calcium carbimide "severely" enhances the pharmacological effects of mescaline in rabbits. Neff & Rossi 1963 cite Friedhoff & Goldstein 1962. See more elsewhere here.

Insulin decreased the LD_{50} of mescaline (i.e. it increased the toxicity of mescaline.) Speck 1957 found that in combination with insulin the lethal dose was lowered towards the dosage range taken by humans.

[This has been brought up repeatedly in the literature stressing that her findings indicated that the lethal dose was lowered towards the range used by humans. The KEY WORD is "towards".]

We must question the applicability of her findings to normal human usage. Speck found 10 units/kg of insulin of body weight was fatal when combined with 30 mg/kg. of mescaline, while 100 mg/kg of mescaline was found to be fatal with 5 units of insulin/kg..

An average human oral dosage levels of mescaline (calculated at 500 mg. which is a stronger dose than many people use) would be 7.3 mg/kg. for a 150 lb. individual or 5.5 mg/kg for a 200 lb. individual (almost always taken orally). The lower of the two dosages above, i.e. 30 mg/kg, would represent the intraperitoneal administration of 2 grams of mescaline [sulfate] to a 150 lb. individual or 2.7 grams for a 200 lb. individual.

Similarly her dosage levels of insulin are substantially higher than a diabetic person would rationally administer. Even at the lower value of 5 units per kilogram of body weight this would be the equivalent of a 150 pound human administering nearly 341 units of insulin to themselves, an amount almost guaranteed to induce insulin shock. Normal human dosages, as used by diabetics, run in the neighborhood of 10 (or less) to 20 (or so) units a day per human, not per kg., depending on the severity of their condition, and generally it is given as a divided dose.

Anderson 1980 mentions that a human has been reported to have ingested 8 grams of mescaline (salt not specified). This is the highest dosage we can find even *mentioned* in the literature. It grossly exceeds any dosage ever given in a clinical setting. [For a human weighing 200 pounds this is approximately 89 mg/kg. of the salt. For a 150 lb. individual this would represent 114 mg/kg. of the salt.] There reportedly was no apparent toxic reaction to this dose. It certainly would have been interesting to interview the subject concerning their experience.

Even Mooney's observation of a peyote-ist consuming 90 dried buttons equates to only around a third of this amount (if the buttons were of average size and potency).

[Brown & Malone 1978 attributed the lack of known fatalities as being the result of a strong emetic reaction which they claimed was produced at higher dosage levels and therefore prevented a person from ingesting a lethal amount. We are unaware of any statistical correlations between dosage and vomiting. Many people vomit at low dosage levels, while we for some reason usually do not, even at high dosage levels. We must take issue with their extrapolation and supposition to explain lack of fatalities. (Humans are only known have been evaluated clinically via injection up to the 50 mg/kg level.)

Mescaline simply is not that toxic of a substance and in natural plant sources is never particularly high in concentration. It is our opinion that a person is highly **unlikely to be able to ingest enough to die** unless perhaps very determined to deliberately do so by injection, using absurdly huge amounts of the pure substance **[Note 41]**. The injection even of the 8 grams previously mentioned (which was orally consumed) would require a rather large syringe. If the human intravenous LD_{50} was around 150 mg/kg (a frequently fatal dose iv in many animals) this would require an injection of more than that (10.5 grams in a 70 kg human; an oral LD_{50} would require at least several times this much.). Another point which must be remembered concerning LD_{50} values is that HALF of the animals given this amount live (and, in the case of mescaline, rapidly recover). It should also be remembered that lethal dosages are not linear. The LD_{100} is often not much higher than the LD_{50}. 200 mg/kg is often fatal to all animals if it is given intravenously.]

No human has ever reliably been reported to die from eating peyote, regardless of the amount ingested. (It should also be noted that peyote contains many alkaloids which are far more toxic than mescaline.)

The only potential reported human death that I have ever encountered involving mescaline [and one which is extremely suspect for a number of reasons] purportedly involved one subject who was said to have died during the US Army's 1950's experiments with hallucinogens. He purportedly was given 15 grams of mescaline intravenously and died sometime thereafter.

This was published in the notoriously less-than-reliable mainstream press and I have been unable to locate any substantiating or verifiable information or details, (or even another solitary mention of, or reference to, it). My source for this was a Sunday newspaper (Parade magazine? or Denver Post?) section's account of our government's involvement with military experimentation on civilians.

If it ***WAS*** true and if toxicity studies in animals, concerning relative toxicity based on route of administration, have any applicability to humans, this would suggest that death via oral consumption might require three times this amount (45 grams); a dose I cannot even imagine. The idea of a 15 gram dose boggles my mind, even if taken orally.

For a 70 kg human, a 15 gram dose represents 214 mg/kg. This is well over the intravenous LD_{50} established in any and every **[Note 42]** animal species I have found published figures for.

This is also greater than the amount found separately by Delay and by Ludueña to cause death in ALL lab animals that it was given to. (Ludueña found this true in the mid 1930's)

That a 214 mg/kg dose was highly **likely** to be fatal if given intravenously was clearly established and readily available information even in the 1950's. While our government has indeed

committed some very unethical and misrepresented experimentation on civilians I find it unlikely they would knowingly and blatantly murder a person in this fashion. This causes me to have at least some doubts concerning the accuracy of the newspaper account. [The account further portrayed the subject as having had unpleasant experiences with the mescaline which he had been given previously and requested that they discontinue testing and he be allowed to leave, at which point they supposedly gave him said dosage and killed him.]

I would not even mention this **highly suspect and unsubstantiated** report except for it being the **only mention of a death purportedly caused by pure mescaline** that I have ***ever*** encountered.

Thiamin has been reported to increase toxicity of mescaline by Dessi & Labó 1950. Thiamin also increases toxicity of insulin according to Burke & McIntyre 1938 (from Speck 1957)

[Speck found mescaline given in the very strong dosages she was using (in animal studies) induced hypoglycemia so we wonder if the enhancement of toxicity she observed was due to a synergism between mescaline and insulin. Hypoglycemia has not been noted at the dosage levels used by humans; as mentioned above, normally hyperglycemia for the first hour, followed by a return to normal over the course of the next 2 to 4 hours, has been described.]

Speck also found synergism between mescaline and physostigmine. Sublethal doses of physostigmine were enabled to be lethal by a dosage of 30 mg/kg of mescaline. (i.e. an increased toxicity for both)

Speck also noted that Gellhorn 1953 found synergism between mescaline and anticholinesterases.

Eserine and prostigmine were found to augment mescaline's convulsant response when applied directly to a cat's cortex. Patel 1968 cited Hyde *et al*. 1949

The threshold for the first twitch produced by an intravenous strychnine infusion was found to be lowered by mescaline. Patel 1968 cited De Salva & Evans 1960

Ellis 1965 reported that mescaline produces some sensitization to the cardiodepressant action of sodium EDTA. [from Kapadia & Fayez 1970]

Iproniazid (an MAO inhibitor) was found to have no effect on mescaline's activity **[Note 44]** [Please compare to the comments concerning harmine farther above.] but mescaline induced hyperthermia in rabbits could be potentiated by pre-treatment with iproniazid or reserpine [the latter point being reported by Bächtold & Pletscher 1957 and Jacob & Lafille 1963 and Ruckebusch *et al.* 1965a

Salmoiraghi & Page 1957 found that small doses of mescaline enhance the potentiating effect of serotonin*, but block the prolongation action of reserpine, on hexobarbitol hypnosis in mice. (from Kapadia & Fayez 1970

Analeptic action was noted in mescaline based on a marked decrease of barbiturate induced sleeping time but the LD_{50} of mescaline was simultaneously lowered. (Increased toxicity) (from Speck 1957)

Mescaline was found to suppress the protective effect of reserpine against amphetamine toxicity in mice grouped in small cages. [Kapadia & Fayez 1970 cite Ruckebusch *et al.* 1965b.]

By itself mescaline was found to be more toxic (based on LD50) to grouped animals than isolated animals by Greenblatt & Osterberg 1961

[*Mescaline was claimed to augment cerebral serotonin levels by Ruckebusch *et al.* 1965b; according to Kapadia & Fayez 1970]

Epinephrine prevented the hypoglycemia and protected against the bradycardia produced by large doses of mescaline but did not increase the LD_{50}. It did however change the character of the terminal convulsions to clonic rather than tonic-flexor type.
Speck 1957

Moderate doses of mescaline markedly inhibit the pressor effect of adrenaline without altering its acceleration of the heart rate. [Kapadia & Fayez 1970 cite Grace 1934

Catatonic manifestations induced by mescaline were found to be inhibited by chlorpromazine, reserpine and azacyclonol by Sturtevant & Drill 1956 and Poloni 1956. (from Kapadia & Fayez 1970

While many phenothiazines, including chlorpromazine were found highly effective against normally fatal dosages of mescaline, reserpine only afforded a partial protection.
Plotnikoff & Washington 1958

Inhibitors of various components of mescaline-induced effects (in animals)

[mostly from Patel 1968 and Kapadia & Fayez 1970]
Asarone by Dandiya & Menon 1965
Azacyclonol by Deegan & Cook 1958
Benactyzine by Rice & McColl 1960
Chlorphenoxamine by Rice & McColl 1960
Dextroamphetamine by Deegan & Cook 1958
Lithium carbonate by Stern *et al.* 1961
Lysergic acid derivatives by Borsy *et al.* 1964
Mephenesin by Deegan & Cook 1958
Methadone by Deegan & Cook 1958
Methamphetamine by Hoch 1955
Methylnonyldioxolane (MND) by Rice & McColl 1960
Narcotic analgesics (codeine, morphine and Demerol) by Deegan & Cook 1958
Pentylenetetrazol (Metrazol) by Deegan & Cook 1958
Pipradol (piperadol or Meretran) by Deegan & Cook 1958
Systemic administration of Phenothiazine tranquilizers **[Note 45]** by Plotnikoff & Washington 1958 and Deegan & Cook 1958 and Delay *et al.* 1956 and Rice & McColl 1960
Picrotoxin by Deegan & Cook 1958
Reserpine by Deegan & Cook 1958 and Plotnikoff & Washington 1958 (partial activity- estimated 60-70%) and Rice & McColl 1960 and Sailer & Stumpf 1957.
[Reserpine injected into mice 24 hours prior to mescaline administration was said to potentiate the action by Bost *et al.* 1965]
Ritalin (methylphenidate or methylphenidylacetate) by Deegan & Cook 1958
Serotonin by Deegan & Cook 1958 and (as Serotonin creatine sulfate) Kawai & Yamamoto 1968.
Trioxazine by Borsy *et al.* 1961.

Davis 1987 reported that administration of 5HT2 antagonists decreased the startle response induced by mescaline.

[In studies involving anesthetized rats]
It has also been found that low level iv doses of 5-HT_2 antagonists such as Ritanserin **[Note 46]** block or reverse the effects of hallucinogens such as mescaline and LSD. Some such as Spiperdone were found active at very low levels. The relative degree of 5-HT_2 affinity appears to be directly correlated to effectiveness in reversing the effects of hallucinogens. [Aghajanian 1994]

In spite of its structural disimilarity to Ritaserin, LY 53857 **[Note 47]** was also found to completely reverse the actions of hallucinogens on the *locus coerulus*. The only known commonality of action is that both act on 5-HT_2 receptors.
Rasmussen & Aghajanian 1986

Some agents which failed to antagonize the effects of mescaline in mice (50 mg/kg oral):
Amobarbital (Amytal) **[Note 48]**
Pentobarbital (Nembutal)
Phenobarbital
Meprobamate (Miltown) **[Note 49]**
Nikethamide
Strychnine
Deegan & Cook 1958

Pharmacology in animals
[Note 50]

Low dosages (around 4 mg/kg) have no marked effect on blood pressure. Large dosages of 20-60 mg/kg cause a drop in blood pressure, bradycardia, respiratory depression and vasodilation.
(from Kapadia & Fayez 1970
[Reported by Speck 1957
and Grace 1934
and Raymond-Hamet 1931 & 1933
and de Nito 1934
and Chaumerliac & Roche 1948]

Mescaline was found to be significantly less toxic than dextroamphetamine when evaluated in mice, rats, dogs and monkeys.
Dosages of 63 to 159 mg/kg given iv or 349 to 1259 mg/kg given orally to mice produced inactivity, hyporeactivity, ataxia, tremors and clonic convulsions. They observed bizarre postures but they were not predominate. They did not observe any of the stereotypy seen with amphetamines.
(Davis *et al.* 1978)

Mescaline was observed to decrease the appearance of ^{14}C in mouse brains following iv or ip administration of labeled glucose; by Greig & Gibbons 1959 (from Neff & Rossi 1963)

Norton & Tamburro 1958 observed a reduction in behavior patterns of contentment and sociability and increased excitement, aggressiveness and defensive hostility; however, Uyeno 1966 found that it inhibited the isolation induced attack behavior of mice.
Mescaline produced aggressive tendencies and paroxysms of ear scratching in mice when given by intracerebral injection at dosages that were ineffective by other routes. Haley 1957

It produced a scratching response in mice that was antagonized by tetrahydroberberine[1], various tranquilizers, serotonin, & *d*-amphetamine, but not by barbiturates, meprobamate, azacyclonol and mephenesin[2, 3, 4].
1. Chin *et al.* 1962
2. Borsy *et al.* 1964
3. Deegan & Cook 1958
4. Maffii & Soncin 1958
(from Kapadia & Fayez 1970

Speck 1957:

Intraperitoneal mescaline sulfate produced vasoconstriction, bradycardia and hypoglycemia in rats.

Bradycardia was maximal at 30 min. and heart rate normal at the end of an hour,

LD_{50} **ip** for unfasted male rats 370 mg/kg.

Death was accompanied by flexor convulsions and respiratory arrest followed in several minutes by cardiac arrest. All dosages over 700 mg/kg ip resulted in death after a short period of hyperactivity and flexor convulsions.

Terminal convulsions were not blocked by either curare or decamethonium

Epinephrine prevented the hypoglycemia and protected against the bradycardia produced by large doses of mescaline but did not increase the LD_{50}. It did however change the character of the terminal convulsions to clonic rather than tonic-flexor type.

Hypoglycemia was increased with increasing dosages. It first appeared around 30 minutes after injection, peaked at one hour, remained low for 4 hours after injection and returned to normal or showed slight elevation at the end of 24 hours.

Rats did not appear comatose or have clonic-tonic convulsions due to severe depression of blood sugar.

Fasting appeared to protect against both hypoglycemia and bradycardia produced by mescaline.

Fischer 1958 raised the question of whether sweat lodges, elimination of salt from the diet and fasting before use were done to minimize these types of effects and to maximize the effectiveness in Indian tribes with more limited access to large doses.

Both that these practices are frequent in these same groups prior to any sacred ritual as essential cleansing and preparatory acts, the sweat in particular considered to be a very sacred act on its own.

Also, Speck found ***neither*** of these physiological changes to be significant except at very high experimental doses. Neither is an effect encountered at normal human dosage levels. The dosages she gave to rats are unlikely to be experienced except by the exceedingly rare individual.

Another point we must stress is that, while not true of all, many Indigenous users, whether North or South American, tend towards the low end of the dosage scale. Their use is often more that of a sacred act, a healing ritual, a 'medicine' or tonic effect, than a seeking of a strong hallucinogenic or visionary experience. Among numerous practitioners strong hallucinations and visions are considered undesirable.

The use of sacramental plants is a very personal one and cannot be judged using any type of blanket formula, especially when applied by people who do not hold them sacred.

A point that is often overlooked by Western observers is that lower dosages are more frequent in interactive healing rituals whereas learning directly from these plants as teachers quite often involves the use of larger amounts. Another point is that casual or clinical Westerner users often tend to be observers rather than active participants.

A clinical experience with mescaline is far from guaranteed to give even an idea of what transpires when a person enters into 'Union' with a sacred plant. Frame of mind and openness of heart are at least as important variables as pharmacology for maximizing results. Sacred acts such as sweats and fasts may indeed prepare a person for the maximum results from what they seek but this is not to say they will increase the strength of a given dosage. Results and hallucinogenic strength are simply not correlatable. Once again we must stress this is not an objective experience. By its very nature it is a subjective one.

Speck 1957 found that tolerance did not develop to bradycardia or hypoglycemia produced by chronic administration of mescaline to rats. [At human dosage levels a transient hyperglycemia is usually observed.]

The "stereotypical" scratching response produced by mescaline in mice (at dosages of 25 to 100 mg / kg orally) has not been observed in other species. Deegan & Cook (using 50 mg / kg) found it to be antagonized by azacyclonol, chlorpromazine, hydroxyzine, mephesin, prochlorperazine, promazine, reserpine and serotonin.

It was unaffected by amytal, meprobamate, pentobarbital and phenobarbital.

Greenblatt & Osterberg 1961 found lethal doses produced hypothermic rectal temperature responses and decreased motor activity in mice.

Kapadia & Fayez 1970 note that Delphant & Lanza 1960 found mescaline lowers rectal temperature in rats and has been shown to be effective at lowering experimental fevers induced by tetrahydro-2-naphthylamine

In rats, mescaline produced an initial depression of the conditioned avoidance response then a prolonged excitatory phase according to Smythies & Sykes 1964. They also noted that when lower doses are used, the latter effect predominates. (from Kapadia & Fayez 1970

Most researcher observed a decrease in motor activity when mescaline was administered. Similarly, with peyote, we experience a marked decrease in motor activity prior to onset and during the hallucinatory phase. It is followed by a period of marked stimulation (mildly amphetamine-like) once the hallucinatory effects have largely dissipated. We have not generally experienced this later stage of stimulation with pure mescaline nor with SanPedro.

Friedhoff & Goldstein 1962 gave mescaline (intraperitoneal) in increasing dosages to rats.

A summary of their observations (times are all averages):

Dose mg/kg	Onset (min.)	Peak (min.)	Description of Effects
<10	na	na	No consistent effects.
10	20	45	Chewing and licking motions. Slight incoordination of hind-legs.
25	12	25	Moderate hyperactivity followed by inactivity, licking, chewing and preening. Slight hyperventilation, slight dilation of pupils & cyanosis.
50	15	25	Moderate hyperactivity followed by inactivity. Gross hind-leg incoordination and weakness. Licking, chewing and preening. Moderate hyperventilation, moderate dilation of pupils, & cyanosis.
100	10	25	Hyperactivity followed by inactivity. Gross hind-leg incoordination and weakness. Licking, chewing and preening. Moderate hyperventilation, moderate dilation of pupils and cyanosis.
200	5	25	Symptoms same as above but weakness is severe and progressing to transient stupor and frequent myclonic jerks. Severe cyanosis and hyperventilation.

[Note 51]

In chronic administration experiments during which she gave rats 50 mg/kg every day for one and a half months, Speck noted some hyperplasia (excessive growth) of the adrenal cortex and some fatty infiltration of the liver. Both kidney and liver had increased in weight. [An increase in the weight of adrenals in mice was also reported by Weltman [sp?] *et al.* 1968 [from Aboul-Enein (1973)]]

No tolerance for any of the parameters she studied was observed except for sensitivity to noise. Speck 1957

Fischer notes that Jantz 1941 and Fischer 1953 described the changes produced from ingestion of 400 to 500 mg of mescaline to be similar to nonspecific stress and notes that Speck referred to her rats in similar terms. Speck used this description to refer to rats which had received 50 mg/kg every day for a month and a half. We do not think the two can be compared. This is a dosage range equivalent to a 150 pound human ingesting 3,500 mg per day for an extended period. Chronic administration studies in animals have a dubious applicability to even the heaviest imaginable human use.

Animals are poor models in general for evaluating hallucinogens. Using such parameters as bradycardia and hypoglycemia, a number of researchers concluded that tolerance does not develop. Fischer seemed positively excited when dog studies showed tolerance to vomiting developed after a year of being fed peyote every day. (At a dosage level of **5 grams of dried peyote per kilogram of body weight**)

Tolerance develops rapidly to the **psychic** effects. These effects are why people take these drugs and are poorly mirrored in any animal study. Even the heaviest use in humans does not exceed a period of several consecutive days. It is exceedingly rare that people use the drug for more than one 8 to 12 hour session.

Animal models were inadequate for even determining mescaline to be the active alkaloid in peyote, prompting Heffter to use himself. LSD, the most powerful hallucinogen ever discovered, was dismissed, and shelved as being of no pharmacological interest, based on animal studies performed by the highly trained and skilled researchers working for Sandoz, and remained that way until Hofmann evaluated it upon himself. Similarly Hofmann was forced to turn to himself and coworkers when animal models were unable to ascertain the active component of *Psilocybe* mushrooms.

Accused of sacrificing his objectivity by his use of the drugs Wasson pointed out that researchers were now apparently divided into two groups, one who were disqualified by their lack of objectivity and the other who were disqualified by their total ignorance of the subject they were trying to understand.

Entheogenic drug effects are purely subjective. Trying to convey their effects to one who is inexperienced is like trying to describe subtle shades of color or musical variations to one who was born blind and deaf. In no other area is any subject so widely studied by so many workers who have no real idea what they are looking at.

Trying to extrapolate animal response to hallucinogens to humans has produced no shortage of problems and erroneous conclusions. In 1952, Block and coworkers concluded that the brain contained no mescaline during the intoxicating phase based on mouse studies and ascribed its effects to a foreign mescaline protein produced by the 0.03% of the mescaline they observed incorporating into liver proteins. Their conclusions appeared to be supported by the observations of others. This lead to an amazing array of mental gymnastics trying to ascribe its effects to everything from liver malfunctions and damage to formation of mysterious LSD-like compounds.

Neff and coworkers on the other hand found that, in cats, mescaline distribution in the brain paralleled the active phase. This was later confirmed in humans by Charalampous *et al.* 1966 observing mescaline present in human spinal fluid 9.5 hours after ingestion.

Even between different species of animals mescaline is metabolized with radical differences. To use animal models to evaluate a hallucinogen's activity or metabolism in humans is of highly questionable merit. Similarly the use of psychotics can tell us nothing about the effects on a normal person. [Even normal humans have shown extremely wide individual variations in metabolism and excretion.]

In no other area of study would the use of such unrealistic and inaccurate models be even tolerated, much less accepted as valid.

It is our opinion that no inexperienced researcher is qualified to evaluate these compounds. The question posed so many years ago by Mr. Hendrix is one modern researchers need to ask themselves before they can assume they are capable of learning anything about this area; **"Are you *Experienced* ?"**

If you are not, how can you have any idea of what you are looking at? Is is really possible for a person blind from birth to engage in a meaningful study of color values?

People like Dr. Dennis McKenna have produced far more real and substantial work in a relatively short career than many other prolific workers in the field with decades more theoretical background. The amazing volume of productive work by people like Dr. Richard Evans Schultes or Dr. Alexander T. Shulgin puts most researchers to shame.

Animal models have merit for evaluating the pharmacological effects in a given species. Some of what is learned can be applied to humans but we must be careful to differentiate what is fact from what is assumption.

There is a production of hyperthermia and in rabbits this was found to be potentiated by pretreatment with iproniazid or reserpine by Bächtold & Pletscher 1957 and Jacob & Lafille 1963 and Ruckebusch *et al.* 1965a.

A correlation has been reported between mescaline induced hyperthermia in rabbits, anti-analgesia in mice and hallucinogenic activity in man by Jacob *et al.* 1962 & 1964.

(from Kapadia & Fayez 1970

Rabbits, evidently metabolize mescaline so well that it produces no effect on them at normal dosages. If Calcium carbimide **[Note 52]** is administered (at 50 mg/kg) to rats before they are given mescaline, the effects appear to match those of far smaller doses. In addition, some may have convulsions (and may even die) and it also decreased the time of onset to that only previously seen in dosages reported lethal by many others. The time to peak was also lessened.

In rabbits, which show no symptoms from mescaline, pretreatment with calcium carbimide causes some effect whereas calcium carbimide by itself does not. The symptoms described by Friedhoff & Goldstein 1962 were "*Marked hyperactivity. Gross tremors; and marked hyperventilation. Pupils narrow.*"

Since there is no way to know how a rabbit would respond to mescaline if they could respond to mescaline, it is not entirely clear what this means. It certainly is interesting and implies there may be need of follow up research.

In cats (receiving 25 mg/kg of the hydrochloride iv):

The initial reaction was excitation, with excessive salivation, mydriasis, defecation, urination and emesis. [i.e. drooling, dilated eyes, shitting, peeing and vomiting]. Lethargy, muscle weakness and incoordination were also observed. This period lasted about 15 to 20 minutes.

The second phase was characterized by the animals wandering aimlessly, crying aloud, exhibiting characteristic pawing motions and appearing unsure of their footing. A peak was reached one half to one hour after administration and the effects were markedly reduced after 2 hours. Most animals appeared normal after 6 hours. Neff *et al.* 1964

We feel some researchers have not noted or concerned themselves enough with a number of variables including how they themselves interact with their subjects. It is not possible to be an outside, objective and isolated observer and still take part in any animal experiment. The fact one is involved eliminates any possibility of total separateness.

A good case in point is Clark, Benington and Morin's 1958 work described in Fischer 1958 observing that mescaline induced excitement, hostility and aggressiveness in cats "*very similar to the rage reaction produced... by lysergic acid diethylamide*".

Neff and coworker's 1964 experiment, involving iv administration of radioactive mescaline to cats (at 25 mg/kg), noted that animals which were aggressive prior to the administration were aggressive during the test period and animals which were docile prior to the administration were docile during the test period. A similar disparity of response has also been noted in human indigenous users of DMT based snuffs. [See Safford 1916, on page 553, where it was noted that aggression is manifest in members of traditionally violent societies and it is not in others.] [Another point is that 'rage' in a cat is indistinguishable from panic, terror or being trapped while scared.]

Even with animals, set and setting contribute heavily. Animals have feelings and readily perceive their environment as safe or threatening. Anyone who doubts this either has spent no time with animals or else has rigid and preconceived notions that cloud their available perceptions. Animals do not somehow run on autopilot or 'pure instinct' as some would insist. It is however much easier to perform experiments on them if they can be depersonified this way. We do not suggest anthropomorphizing them.

They behave and perceive according to their species' parameters. Just because a cat or dog does not think and reason like a human, does not mean that they do not think and reason. Their perceptions are clearly different from those of humans but this does not mean they lack self consciousness or individuality. It is simply different from ours and apparently exists on a more limited scale. It is our belief that consciousness is a pervasive force that exists on all levels, from the Infinite to the subatomic. It just takes different forms and magnitudes of available expression **[Note 53]**. We have observed clear and obvious communication and cooperation even on a microbial level (while watching a 'wolf-pack' of predatory spirochetes communicate and coordinate to attack and successfully subdue what was to them an enormous *Paramecium* before feasting on it).

As Neff and co-workers were decapitating the cats, slicing their brains and studying the distribution of radiolabeled mescaline; they can hardly be accused of babying their subjects or somehow compromising their experiment by getting overly subjectively involved. As it is, we can only wonder at the variables producing such a discrepancy of response.

I suspect the researchers themselves may have played a role in the cats behavior. I have personal experience working in a biochemical laboratory and have noted a wide range of human behavior and attitudes concerning the handling of test animals.

Some researchers (fortunately a minority) seem to believe that rough and callous handling somehow contributes to and ensures objective results. It should be obvious that any aggressive or brutal handling will not minimize their influence on their subjects but will contribute heavily towards diminishing objective evaluation in those cases where typical animal behavior is intended for observation.

It is our belief that those researchers handling test animals as unobtrusively as possible (with care and respect) maximize their chances of observing normal behavior under laboratory conditions.

The use of 'mongrel cats' is a misleading description. These animals are often provided by local animal pounds. This means that many were once pets, often abandoned and overall will have a higher than usual incidence of abuse and neglect, or else will have exaggerated expectations of certain levels of treatment. (Truly feral cats are notoriously difficult to catch, but, if they were used, would exhibit entirely different behavioral patterns and social interactions with human handlers than a domesticated cat.) Despite their obvious ease of availability we question whether this particular population of animals should be used in any study where behavioral characteristics of normal animals are being evaluated.

A similarly unsuitable model of normal animal behavior is found in the evaluation of primates held in 'primate restraining chairs' to better allow physiological measurements. For those unfamiliar with these devices they basically consist of strapping an animal into a fairly immobile situation in a small chair, while enclosing its head in a Plexiglas box. (Probes and monitoring devices being attached or inserted at a variety of locations.)

Even if one has never used a hallucinogen, it takes no imagination to see that this is not conducive to or appropriate for evaluating normal behavior or responses of the animal.

Poor models such as these, in my opinion, are at the least approaching worthlessness for evaluating typical behavior in response to a hallucinogenic drug **[Note 54]**. I might suggest that to similarly strap a person, immobile, into a chair, with their head fixed in an open fronted Plexiglas box, and the appropriate monitors attached and/or inserted, and then inject them with several dozen times what would be a normal dose of a hallucinogen, would provide little if any information about meaningful behavioral changes resulting from its use.

To even consider these as '*behavioral studies'* really stretches the use of the phrase unless perhaps if they were wanting to study the behavior of an organism being tortured.

"*Vocalizations*" were mentioned as one of the observed effects in monkeys. I suspect a human subject would be screaming as well under those conditions.

This brings us to another issue; dosage levels. It is common for animals to receive many times what even a strong human dose would consist of. It should be obvious that, using human subjects, to give a person 5 to 20 times a normal dose would produce nearly meaningless information if used to evaluate the typical effects on a human using these drugs either for recreation or as sacrament. I wonder what the objective is in evaluating animal behavior at these high levels. It is one thing to use high dosages to evaluate ***toxicity*** or chemical weaponry potential but it seems pointless to try and combine toxicity and behavioral studies **[Note 55]**.

While people have been known to ingest as much as 8 grams of mescaline deliberately, it is rare for a person to willingly ingest one full gram more than once. It simply is too overwhelming for most people. As one passes the one gram level, the intensity can actually get physically painful in spots.

The vast majority of people I know get uncomfortable with even crossing the threshold with mescaline and prefer to stay with the extremely pleasant and benign quarter gram level (as the sulfate) [i.e. a mildly psychoactive stimulant effect rather than a full blown psychedelic episode.].

Similarly I would again question the use of these substances when administered to psychotic individuals. Besides the fact that by their very nature they cannot be considered capable of granting informed consent, they do not and can not serve as any type of model for normal human consciousness studies. Especially in light of the frequent observation that their psychosis may frequently be worsened by exposure to these sorts of drugs [in some cases it has actually been noted in passing by the 'investigators' that progress previously enabled by psychoneurosurgery was reversed by this type of testing] the ethics behind such work **[Note 56]** should be strongly questioned. These people are sick and sometimes handicapped. They are not somehow subhuman. Nor are they lab animals.

The often heard comment that we should abandon animal testing and use prisoners and the mentally ill instead is a horribly evil perversion of thought and morality. Human experimentation of this sort has been expressly forbidden since the Nuremberg Convention. It is no more proper or justifiable now, in these cases, than it was then. Medical science did profit, knowledgewise, from the actions of Nazi medical experimenters but no one would say it was worth its price.

Dogs fed with mescaline were reported to develop capillary damage in the liver and nitrogen retention, by Mayer-Gross 1951 (from Kapadia & Fayez 1970

In Dogs given 20 mg/kg:

Symptoms of intoxication appeared immediately if given IV and more slowly after IM or oral administration. "*Nausea, vomiting, mydriasis, injected conjunctivae and hyperreflexia were seen in various degrees in most of the animals*." Only nausea and mydriasis were present in all animals, as reported with other animals and humans there was a wide spread of individual responses. A "*peculiar chewing motion of the jaws*" was said to be observed in every animal.

Some dogs showed a profound depression with disorientation in space and an inability to localize sounds. This was said to have occurred in from 3 to 30 minutes depending on the route by which the drug was given. It was said to last 6 to 10 hours.

Other dogs showed excitement instead of depression.

Dogs which had been given 25 mg/kg (to study distribution in their tissues) were said to show "*marked catatonia*".

Cochin *et al.* 1951

Pharmacological response of dogs to iv mescaline:

Immediate hind limb weakness with fluttering motion of hind leg forcing animal to sit. Salivation, gagging, emesis and defecation frequently followed.

Dog may then sit with head and neck arched toward the floor and front legs widely spread. During this period (which may last for several hours) the animal has minimal reaction to noise or noxious stimuli.

Following this the animal appears sleepy and weak. If forced to move there is a pronounced hind limb ataxia.

With high enough doses the initial overt tremors are followed by tonic and clonic convulsions. Convulsive episodes are preceded and followed by barking, yelping and apparent hallucinations.

Usually there is marked mydriasis and the dog may run wildly, bumping into walls and furniture. Normally the animals appear apprehensive, frightened and disoriented. Inanimate objects are frequently barked or snarled at.

Hardman *et al.* 1973

Davis and coworkers found mescaline given iv (50 to 100 mg/kg) to dogs produced bizarre postures, extreme hyporeactivity, catalepsy and ataxia. It induced moderate salivation described as viscous/foamy. They observed visual tracking but did not find it any more prevalent than was observed with high doses of dextroamphetamine. They noted that unresponsiveness to stimuli began soon after the dose was administered and the animals became prostrate within 10 to 30 minutes. At lower doses the stupor lasted for 2 to 8 hours. Near-lethal doses induced mild but almost continuous clonic-tonic convulsions. Davis *et al.* 1978

Mescaline produced ataxia, clonic and tonic convulsions, and muscular rigidity and tremors in both dogs (5-60 mg/kg/iv dosage range) and monkeys (10-200 mg/kg/iv dosage range)

Mydriasis, salivation and vascular flushing was seen in both but piloerection was only observed in monkeys.

Both dogs and monkeys exhibited apprehension (or fright) dyspnea and hyperpnea. Emesis, bizarre body attitudes and apparent hallucinations were only seen in dogs. Hardman *et al.* 1973

Hardman believed that the dog was a superior subject since it consistently exhibited ataxia, clonic convulsions, salivation, emesis, apprehension or fright and '*apparent hallucinations*' with these compounds. They also felt prior familiarity with dogs made it easier to interpret abnormal behavior. Be this as it may, they apparently are poor predictors of human activity. DMPEA which was suggested active in their dog studies is clearly inactive in humans. They did observe that it was the least active of the 7 compounds they studied.

Hallucinatory behavior was not noted in the monkey, by Hardman *et al.* 1973, even with mescaline in dosages of 200 mg/kg.

They noted that monkeys exhibit stoic behavior to stress and may conceal their altered perceptions.

[This work was performed for the military in 1953-4 and declassified in 1969.]

Davis and associates found a marked increase in salivation and moderate vocalization. As with Hardman *et al.* 1973 they also used Rhesus monkeys. (They used primate restraining devices to '*allow physiological measurements*')

At dosages of 20 to 100 mg/kg iv monkeys showed periods of "*active visual investigation of no apparently novel or interesting object*" All given 40 to 160 mg/kg refused fruit. The hyperreactivity seen after injection was followed by hyporeactivity for 3 to 4 hours. They noted that especially at higher dosage levels the animals were too depressed to show attentive visual behavior.

They found 160 mg/kg to be a minimally lethal dose.

"*Lethal and near lethal dosages caused frequent, prolonged convulsive episodes, respiratory depression and severe hypothermia. Deaths were delayed, i.e. 3 to 4 days after dosing*." Davis *et al.* 1978

Mescaline was found to augment cerebral serotonin levels by Ruckebusch *et al.* 1965b.

There was a favorable effect after administration in a few cases of amenorrhea that was attributed to serotonin antagonism. [Amenorrhea is the absence of menstruation.] [4 cases reported by Salerno & Tallaferro 1957]

Salmoiraghi & Page 1957 found that small doses of mescaline enhance the potentiating effect of serotonin, but blocks the prolongation action of reserpine on hexobarbitol hypnosis in mice.

Above from Kapadia & Fayez 1970

Tonge & Leonard 1969 reported that mescaline given ip to rats (10 mg/kg) showed an increase in their 5-HT (serotonin) levels **[Note 57]** and a corresponding decrease in 5-HIAA (5-hydroxyindoleacetic acid) levels.

Freedman *et al.* 1970 found that this was always true at 1 mg per kg or less but at higher levels the results were inconsistent. 5-HT levels were always elevated at least to some degree but 5-HIAA levels were not always decreased at higher dosage levels and the decreases were seen coupled with increases during the time-course of a given session.

Tonge & Leonard also reported that mescaline partially interfered with 5-HT depletion by *p*-chlorophenylalanine. (26% depletion with mescaline treatment compared to 43% depletion without)

Åström & Samelius 1957 found that mescaline had no certain modifying effects on the actions of serotonin (it "*sometimes, but not regularly caused a slight enhancement of the action of 5-HT*") but that mescaline had vasoconstrictor activity of its own when given in large doses.

As mentioned earlier; serotonin was found to antagonize scratching episodes produced by mescaline in mice by Deegan & Cook 1958

See also; Shein *et al.* 1971

Mescaline was found to produce experimental catatonia in mice, guinea pigs, cats, monkeys, pigeons, and other animals by:
Hoshikawa 1962
and Noteboom 1932 & 1934
and Divry & Evard 1935
and Baruk *et al.* 1956
and Michaux & Verly 1963a & 1963b
and Michaux & Cession-Fossion 1964
and Kramer *et al.* 1965

Catatonic manifestations were found to be inhibited by chlorpromazine, reserpine and azacyclonol **[Note 58]** by:
Sturtevant & Drill 1956
and Poloni 1956

Clinical pharmacology of mescaline **[Note 59]** and related phenethylamines is discussed in detail by Jacobsen 1963.

Cardiovascular and respiratory effects studied by:
Speck 1957
and Hoshikawa 1962
and Grace 1934
and Raymond-Hamet 1931 & 1933
and de Nito 1934
and Geesink & den Hartog-Jager 1939
and Chaumerliac & Roche 1948
(from Kapadia & Fayez 1970

Mechanism of action (What we don't know)

As hard as it may be to believe, the mechanism of action for mescaline is still in question. More is known than just a few years ago but many questions remain.

Some have questioned whether a mescaline metabolite is actually the active compound (Anderson 1980) [This is probably a dead-end conjecture.]

It has been postulated that mescaline is not the active agent *in vivo* or alters normal metabolism. This is primarily based on lack of correlation between effects and alkaloid levels in the blood or urine. Neff & Rossi 1963 cite Block *et al.* 1952b and Mokrasch & Stevenson 1959.

Mokrasch and Stevenson found that maximal behavioral changes followed the maximum blood levels by 1 to 2 hours. They proposed a number of possible reasons for this including that mescaline was deposited in the tissues. They used normal volunteers from the student body and staff of Louisiana State University School of Medicine, dosing them with 5 mg/kg of the sulfate iv between 7 and 9 am. There was roughly an 80% recovery by the end of 9 hours.

Reasons (bulleted) that some have doubted mescaline is an active compound and assumed that its action is produced by a metabolite: (From Kapadia & Fayez 1970 unless specified otherwise. Comments in brackets are ours unless specified otherwise.)

1) It inhibited glucose, lactate or pyruvate oxidation only if pre-incubated for 2 to 3 hours with brain homogenates. (Citing Quastel & Wheatley 1933 and Schueler 1948.)

[Ed. It has been pointed out by several workers that in light of mescaline's high specificity for certain brain tissues, the use of whole brain homogenate is not appropriate and may produce misleading results or at the least require far higher levels than can be used for realistic modeling.]

2) It was rapidly incorporated into liver proteins in mice. During the phase of CNS activity, it was present only at low levels in the brain. (Citing Block *et al.* 1952b.)

[Ed. Liver incorporation has been observed in some species but it is apparently less in others. Generally, *in vitro* incorporation was demonstrated but *in vivo* incorporation was not, promoting researchers to conclude there was an active agent or enzyme present to prevent incorporation. There is additional evidence for this as can be found below. Obviously humans have not been used for analysis. In both cats and in humans mescaline's presence was demonstrated in substantial amounts in the brain and cerebrospinal fluid. It's presence was found to directly correlate to the active phase of its effects.]

3) Its uterine and intestinal contraction activity was only present *in situ*, not when the tissues were excised. (Citing Grace 1934)

[Ed. Also in Kapadia & Fayez 1970: Low concentrations of mescaline facilitate serotonin induced contractions of isolated rat uterus and it contracts the uterus on its own at higher dosages. Atropine has no effect on the effect but uterine contractions are inhibited by chlorpromazine. Citing Costa 1956a & 1956b and Delay & Thuillier 1956 (using isolated rat uterus) and Thuillier 1956]

Åström & Samelius 1957 found no clear modifying effects on serotonin but also found it to be a vasoconstrictor at high dosages. They found mescaline to "*sometimes, but not regularly*," cause "*a slight enhancement of the action of 5-HT*."

4) The period of maximal blood levels and excretion percentages were followed by maximal behavior changes (after the first one to 2 hours). There was no correlation observed between response and blood levels or rate of excretion. (Citing Mokrasch & Stevenson 1959)

5) The effective dose was much higher than other hallucinogens. Effects took 1-2 hours to develop and 5-6 hours to reach a maximum level. (Citing Harley-Mason *et al.* 1958)

Ray & Ksir 1990 proposed its poor lipid solubility hampered passage through the blood brain barrier

6) Iproniazid had no effect on mescaline activity. Friedhoff & Goldstein 1962 (from Neff & Rossi 1963) [Ed. It does however interfere with mescaline *metabolism* in at least some animals.]

Mescaline combined with iproniazid had identical effects to mescaline alone. (Kapadia & Fayez 1970 also citing Friedhoff & Goldstein 1962)

[Ed. It has been shown that mescaline is not significantly affected or degraded by highly purified human MAO (More below) Iproniazid should not be expected to affect the action of mescaline unless accumulation of nondegraded compounds somehow interacts with mescaline.

Interactions between the two have been observed in the potentiation of mescaline induced hyperthermia by **pre**-treatment with iproniazid or reserpine. This has been reported by Bächtold & Pletscher 1957 and Jacob & Lafille 1963 and Ruckebusch *et al.* 1965a]

7) Prolonged reactions to mescaline were reported by Stevenson & Richards 1960 (from Neff & Rossi 1963)

8) Delayed reactions to mescaline reported by Harley-Mason *et al.* 1958. (from Neff & Rossi 1963)

[Ed. This could also imply either poor metabolism and/or excretion. Much of the mescaline which is ingested is not metabolized but excretion proceeds fairly rapidly and thoroughly. See below.

While onset is usually quicker for us with mescaline than with peyote or San Pedros, there have been multiple occasions (but still not as the norm) when mescaline followed the same 3 hour time course of onset we have usually experienced with peyote. Only once, with a very high dosage level of San Pedros did we experience a fairly rapid onset of the hallucinogenic phase beginning strongly at around 35 minutes after ingestion. It built substantially over the course of the next two and a half hours accompanied by a dilation of time where seconds seemed like minutes, minutes like hours and hours like days of corresponding experience and thought.]

9) Fischer felt it inactive due to its lack of affinity for wool protein. See more below.

It is surprising that no definitive modern work has been done. For many years, most pharmacological work and publications involving mescaline in humans have been the review and rehashing of work done much earlier. We are waiting quite anxiously for Dr. Shulgin to do his book on mescaline in hopes that more recent work exists which will shed some light on this surprisingly vague area. Most notably he was involved in a distribution and metabolic study of radiolabeled mescaline. He was the test subject.]

Effects were proposed due to binding properties or liver damage, or malfunctions were assumed by some to give rise to abnormal metabolites affecting brain respiration and causing CNS effects. [Kapadia & Fayez 1970 cite Quastel & Wheatley 1933]

Fischer suspected mescaline of being inactive based on its total lack of binding to wool protein **[Note 60]**, in his model indicating inactivity, and suggested to him that perhaps an active metabolite was formed *in vivo* in very small quantities.

He hypothesized that the formation of an indole derivative resembling LSD resulted from cyclization **[Note 61]** of partially demethylated mescaline or through the condensation of mescaline with norepinephrine or serotonin.

[Kapadia & Fayez 1970, Anderson 1980 and Neff & Rossi 1963) all cite Fischer 1955]

This certainly would be an easy enough hypothesis to test by coadministration of the substances. Epinephrine appears to antagonize mescaline. [We can find no references to norepinephrine being given with mescaline. Serotonin induced contractions are said to be enhanced by mescaline.] No reference to their evaluations for potential potentiation of effect has been located by us. As for cyclization of mescaline this could be easily determined but seems unlikely to yield an active compound. The corresponding indoles have been evaluated. See comments below.

There appears to be no convincing evidence that this is a good model to predict hallucinogenicity. Binding strength certainly. Fischer was able to block the effects of LSD by use of methylene blue which, while it binds well and displaces some physiologically active amines, is clearly not hallucinogenic.

(Fischer 1954 discusses wool protein as a model.)

Other authors such as Gordon 1960 and Hofmann 1961 also postulated the formation of an active indole derivative from the cyclization of mescaline. [Both were cited by Kapadia & Fayez 1970; Gordon 1960 by Neff & Rossi 1963)]

To test this, Morin and Benington synthesized 5,6,7-trimethoxyindole [Morin *et al.* 1957] and the 2,3-dihydro derivative [Benington *et al.* 1959] but found them to lack mescaline like activity.

(from Kapadia & Fayez 1970

The primary metabolic products of mescaline found in human urine have been determined to be inactive **[Note 62]**.

3,4,5-Trimethoxyphenylacetic acid has been evaluated orally in humans up to the 12.1 mg./kg. level and found to have no physiological or psychological effects by Charalampous *et al.* 1966. [also in Charalampous *et al.* 1964] (It had also been reported to be inactive earlier by Slotta &Müller 1936)

They found no activity in any of the metabolites they examined except for a slight drowsiness after one hour observed only at the highest level of N-Acetylmescaline they evaluated (10.4 mg./kg.).

If an active metabolite is formed it apparently is either degraded or exists at such low levels that it has not been detected. There remains the possibility that one or more of the conjugated mescaline metabolites are active (and possibly unstable and not excreted intact.)

The demonstrated activity of a wide range of mescaline analogs does tend to cast doubts on the assumption of mescaline's inactivity when based on its comparatively high effective dosage. [See Shulgin & Shulgin 1991]. Many compounds which are considered potentially active are not and some of those that are show activity at dosage levels far lower than is demonstrated with mescaline. Some of the mescaline analogs have a potency which approaches or equals that of psilocybin.

Future exploration of this area should be fascinating.

The high levels observed in the liver may suggest a high affinity of mescaline for liver tissues or an as yet unelucidated active mechanism for its detoxification. Considering that over half is excreted unchanged there apparently is a poor detoxification mechanism present or dynamic interactions which are presently unclear. As is evident from the radically different profiles of mescaline metabolites, as reported in the cerebrospinal fluid versus those found in urine, by Charalampous and coworkers, there are dynamic interactions which currently are also poorly elucidated.

Their observation that N-acetylmescaline appears in the urine only during a two hour period (hour 5 to hour 7 after administration) suggests this is an area in need of much more work. It is interesting to note that N-acetyl-mescaline was observed at higher levels than 3,4,5-trimethoxyphenylacetic acid in the samples of human cerebrospinal fluid which they analyzed for metabolites.

We do find the apparent affinity for the liver interesting as both the early Greeks and Chinese regarded the liver as the seat of both consciousness and emotion. While we believe that there is direct action on the brain as shown by Charalampous' observation of mescaline in cerebrospinal fluid 9-1/2 hours after ingestion and mescaline's observed 5-HT_2 specificity (see below), we must wonder if there is not also a direct action on the liver and/or the adrenals, both of which are also highly targeted by mescaline.

Earlier workers' observations that mescaline disappears from the brain when effects begin, used animal models, and less sensitive analytical techniques and are not supported in light of Charalampous et al's radiolabeled work in normal human volunteers.

Similarly the findings of Neff *et al.* 1964, who gave radiolabeled mescaline intravenously to **cats**, should also be considered.

Neff and coworkers found the greatest concentration of mescaline during the peak phase to be in the hypophysis (pituitary). Grey matter also contained relatively high amounts during this time both in the cortical and subcortical regions. The cortical and cerebellar grey matter, caudate nucleus, thalamus, lateral and medial geniculates all contained relatively high amounts. The hippocampus, superior colliculi and hypothalamus contained intermediate amounts and the least was found in the white matter, cerebral peduncles and medulla.

A similar distribution was seen in rat brains by Korr *et al.* 1969.

Maximal brain concentrations were attained between 0.5 and 2 hours, roughly paralleling the period of maximal intoxication. (Mescaline has about a 6 hour duration of action in cats.)

Neff *et al.* 1964

Hermle *et al.* 1992 showed that mescaline caused a hyperfrontal pattern of cerebral blood flow. There were greater increases on the right side than the left. The inferior temporal cortex and the hippocampus also saw an increase while the flow in the occipital and parietal cortical regions were decreased. (using SPECT imaging)

One of the major pieces of supportive evidence for an active metabolite was Friedhoff & Goldstein 1962 who showed both 3,4,5-Trimethoxyphenylethanol or 3,4,5-Trimethoxyphenyl acetaldehyde **[Note 63]** produced potent biological effects in rats and rabbits at lower dosages than were required for mescaline.

The aldehyde and alcohol derivatives of mescaline, which were found to have greater pharmacological activity **[Note 64]** in animals than mescaline by Friedhoff & Goldstein 1962, have been proposed to play a role but **neither** has been shown to be produced as metabolites in humans. (See potentiation of effects by the aldehyde dehydrogenase inhibitor calcium carbimide elsewhere.)

It is considered unlikely that mescaline's sympathomimetic activity is directly related to its hallucinogenic effect, as other non-hallucinogenic substances possess both the same CNS and sympathomimetic properties.

Competition for adrenergic receptors was suggested by Speck 1957

Clemente & Lynch 1968 suggested that evidence indicated mescaline does not act via a cholinergic mechanism but rather through catecholamine mechanisms. [Found evidence that mescaline causes stimulation of α-adrenergic receptor sites in a variety of peripheral tissues. There were also suggestions of α-adrenergic blocking properties. (No evidence was found to suggest interaction with β-receptors.) Mescaline was found to possess both agonistic and antagonistic properties. They also found no stimulation of cholinergic receptors.]

Its impact on the central cholinergic system is unclear although Ghansah *et al.* 1993 reported that micromolar amounts of mescaline blocked the release of acetylcholine at the neuromuscular junction .

Studies by Trulson *et al.* 1983 and Ahn & Makman 1979 showed evidence there may also be some sort of dopaminergic mechanisms involved in the actions of mescaline.

Carlini *et al.* 1965 suggested that there was a disturbance of histamine catabolism in the brain.

Marrazzi & Hart 1955 believed "*Cerebral synaptic inhibition plays a part in the action of hallucinogens either by the direct disruption of normal patterns of synaptic activity as a result of alteration in the normal balance between cholinergic excitation and adrenergic inhibition at susceptible cerebral synapses*." (Most included by Kapadia & Fayez 1970

For information concerning:

Interference with enzyme systems (See Giarman & Freedman 1965: p. 10

Interference with serotonin binding: (See Giarman & Freedman 1965: p. 13.

Alteration of storage or receptor sites for acetylcholine (See Giarman & Freedman 1965: page 19.

(from Anderson 1980)

I have noticed that predosing for several days with acetylcholine precursors such as phosphatidyl choline or, better still, dimethylaminoethanol (DMAE) subjectively seem to nicely enhance the robustness of psychotropics including mescaline and especially DMT. It doesn't seem to make the effects stronger or last longer but the times when done this have always seemed substantially 'enriched' in content.

Some more recent thought

As LSD was thought to involve some type of interference with at least some 5-HT systems, it was proposed by several workers that mescaline might interfere with adrenaline or noradrenaline biochemistry. Giarman & Freedman 1965 mention out that in spite of its similarity, structurally, to other phenethylamines, mescaline causes the same shifts in 5-HT (serotonin), nor-epinephrine (noradrenaline) and histamine that LSD does. The reason for this and its mechanism of action still are still not clear today but it is known to share serotonin receptor specificity with the major indolic hallucinogens..

While LSD and the tryptamines can act both antagonistically and agonistically at a number of 5-HT (serotonin) receptors, mescaline does not **[Note 65]**. Mescaline does however, like LSD and DMT, directly interact with one specific subclass known as 5-HT_2 receptors.

5-HT_2 receptors are not presynaptic but rather are found in postsynaptic regions on some neurons. [Their highest numbers are in the cerebral cortex, an area mescaline has a fairly high affinity for.] A current belief is that at least some of the cognitive and perceptual changes produced by hallucinogens arise from an imbalance in activation between the different subtypes of 5-HT receptors. What this means and how it actually affects consciousness is not yet resolved. Mescaline's high affinity for 5-HTs receptors (302 nM) is similar to LSD, and it is also like LSD in that it activates phosphoinositide hydrolysis at that receptor. (Newton *et al.* 1996 and Titelar *et al.* 1988)

However, unlike LSD, mescaline does not alter $5HT_2$ binding with chronic use according to Buckholtz *et al.* 1990.

Mescaline was also reported to fail to show a direct inhibition of 5-HT neurons by McCall 1982.

In further contrasts to LSD, mescaline does not cause a downregulation of 5-HT_2 receptors and the dorsal raphe neurons are insensitive to it. (Penington & Reiffenstein 1986 and Buckholtz *et al.* 1990)

One interesting feature which IS known is that the *locus coeruleus* (a sort of focal and distribution relay point for sensory information **[Note 66]**) has the activation of its neurons substantially facilitated when a person is under the effects of a hallucinogen.

Rasmussen & Aghajanian 1986 and Aghajanian 1980 established that there is a decrease in the spontaneous activity of the *locus coeruleus* but with an increase in its activation in response to stimulation.

It has been shown that the action is neither direct nor locally mediated. It is presently thought that something afferent to it indirectly exerts this effect. It is relatively certain that 5-HT_2 receptor activity is involved as drugs which are known to block hallucinogenic activity can be categorized in their effectiveness by how high of an affinity to 5-HT_2 receptor subtypes they have. There is no such observable correlation with affinity to dopamine or adrenergic receptors **[Note 67]**.

There are cautious attempts being made to reaffirm the legitimacy of interest in this area but all revolve around the use of shorter duration substances due to the lessened demands they put on the clinical support staff. We applaud their efforts but hope someone will eventually choose to evaluate this drug more closely using modern technology. [In a few short years Dr. Strassman has enriched our understanding of the actual human pharmacology of DMT more than all workers in the last two decades combined.]

It should be pointed out that in spite of how little actually is known about how and why mescaline produces its marvelous effects, 1997 marked the one hundredth anniversary of its human use in pure form.

There is one report that mescaline is a reversible inhibitor of the rapid component of axoplasmic transport in nerves by Paulson & McClure 1973.

Following up on the implications of this report, Harrison *et al.* 1976 found that it also not only inhibited mitotic spindle formation but that it binds to purified microtubule protein. It was also found to inhibit the actual assembly of tubulin subunits to form microtubules. The observation that it interferes with assembly of microtubules within the cell and its ready incorporation into the nucleus noted earlier may help aid in a better understanding of its mode of action.

It is only in recent years that the significance of microtubule activity and function within cells has begun to be appreciated and elucidated beyond their well known role in cell division.

Clearly this is an area rich with potential for future research, once the political climate shifts to one more tolerant of research involving hallucinogenic drugs or even one more desirous of information. Currently, it would only be approved of if there was reason to think it would help justify the laws prohibiting them. The distinct prejudice against them can be all too readily perceived in the writings of those who currently discuss them. Rather than accepting them for their frequently positive influence, and striving to cultivate this rather than greater illegitimacy and its attendant problems, it is all too often we encounter professionals bemoaning the need for *something*, *anything* to bring people around to the benefits of a "*straight society*."

The very idea of a "*straight society*" is not only a deluded fantasy but of fairly recent creation. Even Prohibitionists were never taken seriously in the US until the latter part of the 1800s. That it is proposed by the same psychiatrists and pharmacrats that pump people full of all manner of drugs and refers to a society which uses all types of self-prescribed drugs and mood modifying substances routinely from alcohol and aspirin to caffeine and chocolate to nicotine and herbal teas to nutrasweet and sugar, is frightening in its lack of a clear and realistic picture. Many of these substances are not even considered **to be** drugs by the people who use them.

One professionally oriented paper even proposed it necessary to give hallucinogenic drug using youth a '*sense of belonging*' to enable their successful return to society. Considering that the hallucinogen users are not only declared criminals and outlaws in the land of their birth but are widely and relentlessly portrayed as pariahs and social outcasts by the media and mainstream America, generating a 'sense of belonging' is, at best, a nice and pleasant pipe dream. This delusional viewpoint and the approaches it assumes and applies has created no shortage of serious societal problems in the name of 'solutions'.

I agree with the sentiment but do not foresee it happening within our current societal context. These people do not simply "*seek*" what society and traditional religions have failed to give them, in many cases we have FOUND it and have neither the need nor the desire to return to a state of complacency and blind belief that what others tell us is true.

Those of us who worship in this manner do not seek or want guidance from those who worship differently. We expect only to be allowed to exercise our spiritual relationships with our creator in those ways we know in our heart is correct.

If we bring no harm to others, there is no justification for our persecution, prosecution or oppression. Desiring and promoting love, appreciation of beauty, brotherhood and stewardship are neither bad nor undesirable.

Suggested readings on the pharmacognosy and physiology of peyote and its alkaloids

Aghajanian 1970 & 1980 & 1994; *et al.* 1968 & 1970
Alles 1957
Appel & Callahan 1989
Bard 1941
Bernabai 1966
Barron *et al.* 1964
Beccari 1936
Block 1958
Chaumerliac & Roche 1948
Clark *et al.* 1954
Clerc *et al.* 1936
Colomb 1939
Delay & Gerard 1950 & 1948
Delay *et al.* 1949 & 1951 & 1956
Denber 1955 & 1956 & 1957 & 1959 & 1961 & 1964
Denber & Merlis 1954 & 1955 & 1956a & 1956b
Denber *et al.* 1954 & 1962
DeNito 1934
Dixon 1899-1900
Dixon & White 1898
Garattini & Ghetti 1957
Geesink & Den Hartog Jager 1939
Hebbard & Fischer 1966
Janot & Bernier1933
Jantz 1940a & 1940b
Lebeau & Janot 1955
Marinesco 1931 & 1933a & 1933b
Marrazzi & Hart 1953 & 1955
Merlis & Denber 1956
McGlothlin 1965
Metzner 1963
Naranjo 1958
Olney 1972 [overview with references omitted]
Prajer 1968a & 1968b & 1969
Popoff 1897
Rajotte *et al.* 1961
Raymond-Hamet 1933
Reutter 1924
Rinaldi & Himwich 1955
Robles & Gomez Robleda 1931
Shein *et al.* 1971
Smith 1959
Speck 1957
Sturtevant & Drill 1956
Supniewski 1930a & 1930b
Szuman 1930
Tarsitano 1947
Thuillier 1956
Trulson *et al.* 1983
Wagner 1969
Witkiewicz 1932
Wolbach *et al.* 1932

Distribution, metabolism & excretion reported in animals

We took the liberty of correcting some bad citations. Occurrences are not noted but can be determined in our bibliography.

In Cochin *et al.* 1951, mescaline was given orally, intramuscularly and intravenously to dogs. Intravenous administration caused a rapid rise followed by a rapid decrease in plasma levels, the initially rapid fall was followed by a gradual disappearance from blood.

Intravenous administration produced the most rapid onset and the highest initial peak in plasma concentration, followed by intramuscular injection (which showed a slower fall in plasma concentration) but the intensity of the effects were the same as those produced by oral administration. Oral administration did not reach as high of initial levels as either other route but showed a slower drop in plasma concentration which resulted in higher plasma concentrations than either other route by or around the third hour. This higher plasma concentration persisted for "*periods up to 10 hours*".

Cochin *et al.* 1951 also found that plasma levels (in dogs) were found highest immediately after iv injection and that mescaline had disappeared from the blood stream after 6-8 hours. It was detectable in the urine as early as 30 minutes after administration and the maximum rate of excretion was found in about two to four hours. Concentrations in liver, spleen and kidneys were reported to be far higher than those in the plasma (the brain and blood levels were similar after the first hour but while the plasma level had decreased by the fourth hour, the cerebral cortex showed a small increase.)

In Dog	Mescaline concentration (in μg/ml or μg/gm) after:	
Organ	1 hour	4 hours
Kidney	57	46
Liver	50	31
Spleen	48	26
Skeletal muscles	14	15
Omental fat	5.2	<5.0
Ventricular muscle	34	12
Cerebral cortex	12	13
Plasma	10	7.1

Cochin and associates' work reporting 3 to 6 times higher concentrations in liver, spleen or kidney than in brain or plasma appeared to confirm the earlier work of Vogt 1935 and was also supported by the work of Block and coworkers who found after intraperitoneal injection the highest amounts were present in the liver and kidneys and almost none in the brain and spinal cord. They found evidence that mescaline was incorporated into liver proteins. They felt that maximum tissue concentrations coincided with the period of marked autonomic stimulation while the highest concentration in liver protein corresponded to the hallucinatory period.

[Reported in Block 1953c and Block & Block 1952a & 1952c and Block *et al.* 1952b & 1952c]

(last portion from Kapadia & Fayez 1970

This was supported by Tarsitano's observation (1945) that, in dogs given mescaline subcutaneously, the highest concentrations were observed in the liver and kidneys, lower amounts were found in the brain and no appreciable levels seen in the blood.

Immediately after intraperitoneal injection into mice Wolfram Block and coworkers [Block *et al.* 1952b] who noted the appearance of radiolabeled mescaline in liver and kidneys. Small quantities were found in brain, spinal cord substance, blood cells and plasma. Different tissues attained maximal concentrations at different times after administration. (from Neff & Rossi 1963) [Block & Block 1952b also reported the least concentrations they observed was in the brain.]

However, Neff *et al.* 1964 gave mescaline intravenously to cats and found peak intoxication after 30 minutes with highest radioactivity in the hypophysis [i.e. pituitary], relatively high levels in the cortical and subcortical grey matter and very little in the areas composed largely of myelinated fibers. Maximal brain concentrations were attained between 0.5 and 2 hours, roughly paralleling the period of maximal intoxication. (Biological half-life in plasma and cerebrospinal fluid of cats was found to be 1.5 to 2 hours.)

This was supported in humans by the work of Charalampous and coworkers published in 1966. They found mescaline to be present in the cerebrospinal fluid of normal human volunteers 9-1/2 hours after oral administration of 500 mg of mescaline. (They found an average half-life of 6 hours in humans.)

Korr *et al.* 1969 administered mescaline to mice and found that after **one hour** the highest levels of the drug were present in the cerebral cortex and the brain stem. **Six hours** after injection the highest levels of radioactivity were found in the hippocampus. The increase in motility of the animals was said to parallel the increasing concentration in the *cornu ammonis*. (They reported an approximate half-life of 55 minutes in mice.)

Similar distribution patterns in the brains of mice were reported for psilocin by Hopf & Eckert 1969. Immediately after injection, the hippocampus showed very low levels whereas the levels had considerably increased 2 to 4 hours later. The regions with the highest concentrations were gray matter, the neocortex, paleocortex, Ammon's horn and the thalamus. The amygdala showed high concentrations in parts but only average in others. The hypothalmic nuclei initially showed high levels which rapidly decreased after 30 minutes. Similarly the cerebral cortex showed immediately high levels which dropped rapidly.

Storage of radiolabeled material was said to be marked in the "*perikayra of the spinal ganglia, bone marrow and other reticuloendothelial structures*"

Other sites which were targeted by mescaline included the bile ducts and around the central veins in the liver. Both the adrenal medula and the Isles of Langerhan (in the pancreas) also showed selectivity for mescaline accumulation. [Observed by Korr *et al.* 1969]

In studies done by Weltman and associates [1968] the adrenal gland weight was found to be increased in male albino mice while the weight of their thymus had decreased. They found no changes in pituitary, testes or seminal vesicle weight.

A decease in total leukocyte and eosinophil count has been recorded both in animals and in humans the day following a mescaline experience. [see comment under pharmacological action in humans.]

[Mainly from Aboul-Enein 1973]

In chronic administration experiments in which she gave rats 50 mg/kg every day for one and a half months, Speck 1957 had noted some hyperplasia (excessive cell growth) of the adrenal cortex and some fatty infiltration of the liver. Both kidney and liver had increased in weight.

Fischer 1958 mentions that Jantz 1941 had found chronic administration in dogs and guinea pigs led to severe liver damage with fatty infiltration.

[It must be remembered that such chronic use does not ever occur in humans.]

In vivo studies by Block and associates had shown binding with liver protein (Musacchio & Goldstein 1967 cited Block *et al.* 1952c)

Mescaline was determined by Block to be incorporated into mouse tissue protein **[Note 68]** *in vitro*. Brain tissue was found to incorporate mescaline *in vitro* but not *in vivo* by Block. Neff & Rossi 1963 cite Block 1953b & 1954a

They also found that mescaline is not incorporated by liver homogenates in a nitrogen atmosphere but if placed in an oxygen atmosphere initially, before moving to a nitrogen atmosphere, mescaline is incorporated into liver homogenate. Their studies found that gentle heating at 55° increased incorporation, while heating at 100° destroyed it, suggested to them the existence of an active enzyme to inhibit mescaline incorporation. Mescaline incorporation was also increased by tyramine and iron (II) plus citrate. Their thought was that the inhibitor was inactivated at 55° while the protein incorporation system was destroyed at 100°. (100° will denature most enzymes and other proteins.) They felt the effect of tyramine was due to its preferential binding with the inhibitor and interference with its activity.

Block found nuclei could incorporate mescaline regardless of tyramine or heat while mitochondria and microsomes acted like the liver homogenate. They concluded that the incorporation inhibiting factor was present within mitochondria or microsomes but not in cell nuclei. [Kapadia & Fayez 1970 cite Block 1954b. [See comments elsewhere here concerning mescaline's affinity for microtubule proteins]

It was shown not to be amine oxidase since several known inhibitors failed to increase mescaline's incorporation. [Kapadia & Fayez 1970 cite Block 1954a.]

Blaschko 1944 came to the same conclusion for similar reasons.

Block 1953b had found that microsomes bind mescaline only weakly; while nuclei bind mescaline strongly (from Neff & Rossi 1963)

Block concluded that mescaline may be incorporated into proteins via a different mechanism than is involved in the case of amino acids. [Kapadia & Fayez 1970 cite Block 1954a.

Hydrazide (an amine oxidase inhibitor), cathepsin, ATP, respiration, glycolysis and ATP generating systems had no effect, suggesting a different process than that used for amino acid incorporation. (from Neff & Rossi 1963)

[Block's conclusions need a more careful analysis by modern researchers. Enzyme chemistry today has progressed far past what was available to them and may shed light on what was observed. Some of their conclusions may indeed be true but do not represent the only possible explanation for what was observed. Tyramine, iron and citrate may be possible cofactors or tyramine may be an activator for an active enzyme by binding to a secondary site on an enzyme which catalyzes rather than inhibits incorporation. The increased rate of incorporation seen when heating gently could also be explained by partial conformational changes allowing a better acceptance of mescaline as a substrate, while high heat eliminated incorporation by total denaturation of the same enzyme. Mescaline's low rate of incorporation may simply be due to a very low specificity due to its bulky methoxy groups (i.e. a poor fit).

It may also be that mescaline is not actually incorporated into the protein backbone itself but rather conjugated with existing amino acid residues as a subsequent modification of the existing protein structure. [Mescaline conjugates with free amino acids have been found.]

We do not say this intending to state that Block was wrong; there are also many flaws with our alternative. Most notably the observations that protein incorporation in brain tissue apparently will proceed readily *in vitro* but not *in vivo* **[Note 69]**, and that, in contrast to mitochondria, nuclei have a high affinity for mescaline. [Mescaline's high affinity for microtubules may be an important consideration in this regard.] We simply want to point out that Block's suggestions were tentative, hypothetical and amazingly still stand in need of greater clarification some 40 years after their proposal. We now have the molecular tools and sophisticated techniques to look at this in great detail, but apparently not the interest and political permissiveness.]

Goldstein *et al.* 1961 and Friedhoff & Goldstein 1962 found both 3,4,5-trimethoxyphenylacetic acid and 3,4,5-trimethoxyphenylethanol in the urine of rats after mescaline administration (from Neff & Rossi 1963) and Kapadia & Fayez 1970

The latter's formation was increased by calcium carbimide pretreatment and the presence of 3,4,5-trimethoxyphenylacetic acid was decreased.

Rabbits, which are estimated to be about 70 times more tolerant to mescaline than humans, developed "*severe*" reactions when given very small doses of mescaline after pretreatment with calcium carbimide implying that aldehyde dehydrogenase inhibition enhances the pharmacological activity of mescaline. Mild mescaline-like effects were [said to be]produced with iv administration of 2-(3,4,5-trimethoxyphenyl)ethanol which was potentiated when taken in combination with calcium carbimide. This led Friedhoff & Goldstein 1962 to suggest that it was responsible for mescaline's effects. (from Kapadia & Fayez 1970 See our comment on this elsewhere.

One additional comment we would like to make is that "*mescaline-like effects*" were ascribed to this compound **[Note 70]**.These "*mescaline-like*" effects were described in a species that mescaline produces no effects in. (The symptoms and their significance were extrapolated from those of rats.) While it is clear that pretreatment with calcium carbimide radically enhances the biological effects and toxicity of mescaline (some animals had seizures and died) and also radically enhances the toxicity of the corresponding alcohol, we do not feel that their experimental data has enough information to enable assumptions of, much less prove, hallucinogenic activity for 3,4,5-Trimethoxyphenylacetic acid.

Neff *et al.* 1964 analyzed metabolites in cat urine over a 6 hour period following administration of 25 mg/kg intravenously. They observed TMPA as the only metabolite during this period.

(Also from Neff *et al.* 1964: TMPA had been reported as a metabolite in the urine of humans [7.4% of the mescaline administered] (by Mokrasch & Stevenson 1959), mice and rats (by Block *et al.* 1952a), rabbits (by Slotta & Müller 1936) and dogs (by Spector 1961)

They also mentioned that 3,4-dihydroxy-5-methoxyphenylacetic acid was found in human urine by Harley-Mason *et al.* 1958 and that 3-hydroxy-4,5-dimethoxyphenylacetic acid was observed in human urine by Ratcliffe & Smith 1959. Both were minor metabolites.

[Musacchio & Goldstein 1967 also noted the presence of this latter compound was detected by Ratcliffe and Smith referring to it as 3,4-Dimethoxy-5-hydroxyphenethylamine.]

Cochin *et al.* 1951 was able to detect only trace amounts of trimethoxyphenylacetic acid in the urine of dogs given mescaline.

Rabbit liver preparations were shown to rapidly oxidize mescaline while frog, rat, guinea pig and cat liver preparations did not. 3,4,5-Trimethoxyphenylacetic acid was the main oxidation product[1].

In vitro studies concluded that rabbit liver contains an enzyme system which oxidizes mescaline readily and that some factor other than oxidase might be involved[1,2].

1. Bernheim & Bernheim 1938
2. Pugh & Quastel 1937

(Kapadia & Fayez 1970 cited 1 and 2; Neff & Rossi 1963 cited 1)

A specific mescaline oxidase was claimed to have been separated from rabbit liver which was different from monoamine oxidase by Steensholt 1947

This was assumed to be the reason for rabbit's high tolerance of mescaline by Blaschko 1944 (from Kapadia & Fayez 1970)

It has been proposed that the amine oxidase which catalyzes this is not identical with monoamine oxidase (either aliphatic or mixed aromatic amine oxidases) This was based on the lack of tyramine oxidase reactivity with mescaline, the observance that mescaline increases the rate of oxidation in saturated amine oxidase substrate and the fact that mescaline oxidase is not present in all tissues. (Neff & Rossi 1963 citing Bernheim & Bernheim 1938)

Blaschko 1944 did not feel amine oxidase catalyzed the reaction in rabbit liver for several reasons including the fact it was not affected by known amine oxidase inhibitors.

Some felt it to be diamine oxidase, such as Sourkes 1958

Amine oxidase was shown to have little or no effect on mescaline by Pugh & Quastel 1937 and by Alles & Heegard 1943

Seiler 1965 treated mescaline with mouse brain homogenates and felt that the oxidation was caused by a monoamine oxidase not diamine oxidase (it led to 3,4,5-trimethoxyphenylacetic acid)

Others believed deamination of mescaline can be effected by either monoamine oxidase or diamine oxidase, including Zeller *et al.* 1958 (using a variety of tissue sources, including rabbit liver, for their amine oxidases. Zeller felt that DO was indicated rather than MAO in all preparations except for that from hog kidneys where MAO or an MAO-like enzyme was felt to responsible for mescaline's degradation.)] and Clark *et al.* 1964 & 1965 (using rabbit liver amine oxidase in the last paper).] (from Kapadia & Fayez 1970)

However, mescaline has been found to be a poor substrate for highly purified human plasma monoamine oxidase by McEwen 1965

Neff *et al.* 1964 noted that Zeller 1963 theorized the three methoxy groups of mescaline interfere with its potential interaction with MAO.

Mescaline was also found to be a poor substrate for dopamine-β-oxidase by Creveling *et al.* 1962 (from Kapadia & Fayez 1970)

In vivo reaction of mescaline with dopamine-β-oxidase by Creveling *et al.* 1962 yielded mescalol. (from Neff & Rossi 1963)

Besides deamination, enzymatic O-demethylation and N-acetylation are also known to occur. See Daly *et al.* 1962 and Musacchio & Goldstein 1967. [Also for O-demethylation see Charalampous and coworker's 1966 study of metabolism of mescaline in humans.]

For example; rabbit liver preparations caused both O-demethylation as well as deamination.

Daly *et al.* 1962 found that *in vitro* incubation of mescaline with a rabbit liver preparation of microsomes and supernatant fraction produced small amounts of 3,4-dimethoxy-5-hydroxyphenethylamine and 3,5-dimethoxy-4-hydroxyphenethylamine.

3,4,5-Trimethoxyphenylacetic acid was formed in larger amounts. Unless inhibitors were present nearly all of the mescaline was degraded by the rabbit liver preparation.

Formation of the acid was found to be inhibited by iproniazid **[Note 71]**, semicarbazide, nicotinamide and triphosphopyridine nucleotide. Daly also reported the observation of a lesser degree of inhibition with *n*-octanol.

N-acetylation was not observed in this paper.

Enzyme preparations from rabbit lung produced N-methylation of mescaline (and numerous other compounds) when examined by Axelrod 1961. [Mescaline was N-methylated at 37% of the rate of serotonin] and Axelrod 1962. (see comment above)

Goldstein & Contrera 1962 had demonstrated that mescaline is a weak substrate for dopamine-β-hydroxylase but Musacchio & Goldstein 1967 found that this is not apparently a metabolic route in rats.

Biogenic amines undergo N-Acetylation *in vivo*, as shown by Musacchio & Goldstein 1962 and Smith & Wortis 1962 and mescaline is metabolized partially through this route.

Charalampous also found that both N-acetylation and O-demethylation are minor routes of metabolism found in humans.

For preliminary reports on metabolic pathways, see:

Musacchio & Goldstein 1962 and Musacchio *et al.* 1963. (from Musacchio & Goldstein 1967)

Mice were found to excrete 79.4% of the administered mescaline unchanged, 16.2% as 3,4,5-trimethoxyphenylacetic acid and 4.4% as an unidentified substance by Block *et al.* 1952a

Block *et al.* 1952 also found that the rat excretes mescaline mainly as TMPA.

Rat urine collected over a 40 hr. period after intraperitoneal administration showed 72.4% TMPA, 18.4% mescaline and 9.1% as an unidentified substance.

(from Neff & Rossi 1963)

Musacchio & Goldstein 1967 mention that trimethoxyphenylacetic acid was reported as the major metabolite in man, mice and rats by Harley-Mason *et al.* 1958 and Cochin *et al.* 1951. They also state that Harley -Mason *et al.* 1958 determined that mescaline is either excreted unchanged or else as 3,4,5-trimethoxyphenylacetic acid

2-(3,4,5-Trimethoxyphenyl)ethanol had been reported to be a [minor] metabolite in the urine of rats fed with mescaline by Goldstein *et al.* 1961 and Friedhoff & Goldstein 1962. (from Kapadia & Fayez 1970 [Musacchio & Goldstein only include the first reference.]

Metabolites of mescaline observed in RAT urine by Musacchio & Goldstein 1967:

% of total excreted product	normal	[with Iproniazid]
Mescaline	20.1 ± 3.7%	[43.10 ± 6.40%]
Trimethoxyphenylacetic acid	42.3 ± 5.3%	[1.45 ± 0.35%]
N-Acetyl-3,5-dimethoxy-4-hydroxyphenethylamine	15.1 ± 2.9%	[27.75 ± 3.55%]
N-Acetyl-3,4-dimethoxy-5-hydroxyphenethylamine	14.4 ± 1.7%	[16.85 ± 1.45%]
Unknown	6.4 ± 1.0%	[5.30 ± 0.30%]
N-Acetylmescaline	1.7 ± 0.2%	[5.55 ± 0.75%]

They felt that the increase in N-acetylated products and the decrease of TMPA was consistent with reports of mescaline being deaminated by diamine oxidase.

Kapadia & Fayez 1970 mention that the unknown was probably N-acetyl-3,4-dihydroxy-5-methoxyphenethylamine

Friedhoff & Goldstein 1962 reported that rats given radiolabeled mescaline showed 3,4,5-Trimethoxyphenylacetic acid as the primary metabolic product in urine, with lesser amounts of mescaline and still less of 3,4,5-Trimethoxyphenylethanol. Pretreatment with Iproniazid before mescaline, caused mescaline to be the major urine borne contaminant and 3,4,5-trimethoxyphenylacetic acid to be present at a somewhat lower concentration.

3,4,5-Trimethoxyphenylethanol was not observed after iproniazid pretreatment.

Half of the mescaline fed to rabbits was excreted as 3,4,5-trimethoxyphenylacetic acid. (an inactive compound) according to Slotta & Müller 1936 and Charalampous *et al.* 1964. (from Kapadia & Fayez 1970

TMPA was the only reported metabolite in cat urine by Neff *et al.* 196, while Musacchio & Goldstein 1967 found that mescaline undergoes O-demethylation and N-acetylation in the metabolism of the cat to an extent of 2%. (Musacchio & Goldstein 1967) cited unpublished data from their lab)

[TMPA was also the only metabolite Neff and coworkers found in cat brain, cerebrospinal fluid and plasma.]

In dogs:

Slotta & Müller 1936 isolated 38% of oral mescaline as TMPA and observed no unchanged mescaline in the 24 hr. urine.

[From Neff & Rossi 1963 and Cochin *et al.* 1951]

Cochin *et al.* 1951 found only traces of TMPA and 24-46% of dose excreted unchanged. Spector 1961 reported deamination is the major pathway of metabolism in dogs (60%) but that a significant amount is excreted unchanged.

Mescaline was first detected in dog urine collected (by catheter) 30 minutes after injection. Maximal levels of excretion occurred between 2 and 4 hours. The majority of a dosage was excreted by 4-1/2 hours and the rest within 24 hours.

They found significant differences in the rate of recovery between their subjects (similar to what we will see below in humans). [Dosage: 20 mg/kg]

Percent of administered mescaline recovered in urine:

Subject	Oral	IM	IV
1	39%	46%	39%
2	44%	35%	28%

(Note: Oral administration was via a stomach tube.)

Metabolism and excretion reported in humans

In contrast to the assertion of Rinkel 1965 (citing Rinkel 1955) that mescaline is present in the brain only until the effects begin **[Note 72]**, Charalampous and coworkers found it to be present at significant levels in the cerebrospinal fluid for at least 9-1/2 hours after administration. (They used dosages of 500 mg. mescaline hydrochloride orally.)

Specimens of cerebrospinal fluid taken from normal human volunteers were taken at 4-1/2, 5 and 5-1/2 hours after administration and analyzed. Mescaline formed over half of the substances present. N-acetylmescaline and N-acetyl-β-(3,4-dimethoxy-5-hydroxyphenyl)-ethylamine were the two largest of the other components and were present in equal amounts. 3,4,5-trimethoxyphenylacetic acid was present but in smaller amounts than N-acetylmescaline. All were present at far lower concentrations than mescaline.

In the urine, a reversed picture is seen, unchanged mescaline similarly comprises 55 to 60% of the total excreted product. 3,4,5-trimethoxyphenylacetic acid forms 27 to 30%, N-acetyl-β-(3,4-dimethoxy-5-hydroxyphenyl)-ethylamine represents 5% and N-acetylmescaline is present at less than 0.1%. These 4 compounds and the five others they partially characterized constitute 96 to 98% of the excreted mescaline originating substances. They observed a total of 12 compounds present. (From Charalampous *et al.* 1966)

Mokrasch & Stevenson 1959 had found an amine and an acid as major metabolites in blood and urine of humans.

Ratcliffe & Smith 1959 isolated 3-hydroxy-4,5-dimethoxyphenethylamine [also called 3,4-dimethoxy-5-hydroxyphenethylamine by some] in small amounts from human urine.

(from Neff & Rossi 1963)

In vitro formation of

3,4-Dimethoxy-5-hydroxyphenethylamine and

3,5-Dimethoxy-4-hydroxyphenethylamine

was observed by John Daly *et al.* 1962

3,4-Dihydroxy-5-methoxyphenethylamine isolated as a minor metabolite from human urine by Harley-Mason *et al.* 1958

(from Musacchio & Goldstein 1967)

No evidence for the production of 3,4,5-trimethoxyphenylacetic acid had been found by Möller 1935. [From Kapadia & Fayez 1970]

While Slotta & Müller 1936 measured excretion of TMPA in dogs and rabbits they could not observe it in human urine. (from Neff & Rossi 1963)

Harley-Mason *et al.* 1958 failed to detect TMPA in the urine of 6 human subjects after mescaline administration.

They did find a small amount of a glutamine conjugate of 3,4-dihydroxy-5-methoxyphenylacetic acid.

(3,4-dihydroxy-5-methoxyphenacetyl-glutamine)

(from Neff & Rossi 1963) [the second point is also included by Kapadia & Fayez.]

3,4,5-trimethoxyphenylacetic acid was detected as a metabolite in later studies by Charalampous *et al.* 1964 and Mokrasch & Stevenson 1959.

Orally administered mescaline had been recovered substantially unchanged in addition to decreasing amounts of 3,4,5-trimethoxyphenylacetic acid, N-acetyl-3-demethylmescaline and N-acetylmescaline in both urine and cerebrospinal fluid by Quastel & Wheatley 1933

The presence of 3,4,5-trimethoxyphenylacetic acid was confirmed in human urine by Friedhoff & Hollister 1966. (from Kapadia & Fayez 1970

N-Acetylmescaline was only observed in urine collected (via catheter) between the 5th and 7th hour.

(Charalampous *et al.* 1966)

According to Cochin *et al.* 1951, Moller 1935 reported a recovery of 94% of the mescaline he had ingested but far less in the urine of psychiatric patients. His report has never been confirmed by other investigators (Only that there is potentially a wide variation between the metabolism and excretion from one individual to the next).

Derek Richter 1938 determined that humans excreted 58% of ingested mescaline unchanged within 24 hours when it was taken orally and 52% when given intravenously. The highest concentration in urine was observed 4 to 5 hours after oral administration.

Fischer 1958 reported that 12.5 to 60% was excreted in six to 24 hours; the six hour period containing the peak values for the 24 hour period.

Charalampous *et al.* 1964 determined that mescaline is excreted in humans at an average (3 of 4 subjects) of 81.9% of an orally administered dose in the first 12 hours (26.2% as TMPA) and 94% of the dose by the 48th hour. [While they only took measurements of TMPA concentration during the first 12 hours, they estimated that the conversion of mescaline to TMPA represented between 29 and 30% of the total dose.]

Charalampous *et al.* 1964 also found 54.9% of the mescaline was excreted within the first 6 hours; 31% of it was as Trimethoxyphenylacetic acid (TMPA.) [This represents 17% of the total ingested mescaline being excreted within 6 hour as TMPA.]

9 to 39% reported excreted in urine of psychiatric subjects within 18 hours: Salomon *et al.* 1949

Neff & Rossi mention that Harley-Mason *et al.* 1958 recovered an average of 35% within 24 hours (6 subjects)

***Lophophora williamsii williamsii* in South Texas**

[The different recovery figures of Richter and Salomon were said by Kapadia & Fayez 1970 to have depended on route and duration of the drug. Except for the lowest amount that was reported excreted coming from the patient given the lowest dosage, we were unable to discern any pattern based on dosage. All those given by Salomon and coworkers were orally administered. Richter 1938 did find that a greater percentage was excreted unchanged when given orally. Neff & Rossi 1963 stated that Solomon [sic] *et al.* 1949 recovered an average of 30% of mescaline in 6 human subjects within 8 hours.

In all of this team's evaluations, the test subjects were hospitalized psychiatric patients, in this case 5 schizophrenics and one neurotic (used as their "normal" control) from which they reported recoveries of 8.6% to 38.9% in 18 hours after giving them 137.8-275.6 mg of mescaline sulfate.]

High recoveries were noted in human urine and lesser amounts in psychopathic patients by Moller 1935 (Kapadia & Fayez 1970)

28 to 46% was said to be excreted within 4.5 hours and the rest within 24 hours (from both dogs and humans) by Woods *et al.* 1951 and Cochin *et al.* 1951

60% of original dose is excreted unchanged in the urine most within the first 12 hours. Ralph Metzner 1963: p. 76 (from Anderson 1980)

Mokrasch & Stevenson 1959, using normal humans, found that **11.8 to 66.7**% of the mescaline (administered intravenously as 5 mg/kg of the sulfate) was recovered in the urine in the first 6 hours. (This is frequently given as the average of 31%). 7.4% was recovered as Trimethoxyphenylacetic acid.

Charalampous *et al.* 1966 found an average of 87% of the total dose of mescaline is excreted within the first 24 hours and an average of 92% in the first 48.

Mescaline as the percentage of total urine excreted product in humans (by hourly intervals, as reported by Charalampous and coworkers):

0-1h	1-2h	2-3h	3-4h	4-5h	5-6h
81.4%	55.7%	54.7%	49.8%	53.7%	59.0%

6-7h	7-8h	8-9h	9-10h	10-11h	11-12h
58.5%	63.6%	52.0%	50.3%	43.0%	50.5%

From Charalampous *et al.* 1964:

Mescaline as approximate percentage of the total mescaline administered present in human urine (by hourly intervals). [Ignoring minor metabolites.]

0-1h	1-2h	2-3h	3-4h	4-5h	5-6h
4%	5.9%	8.3%	7.1%	7.1%	5.5%

6-7h	7-8h	8-9h	9-10h	10-11h	11-12h
4.6%	4.0%	4.0%	2.1%	1.8%	1.3%

i.e. [Ignoring minor metabolites]**:**
37.9% excreted in the first 6 hours as unchanged mescaline
55.7% excreted in the first 12 hours as unchanged mescaline.

TMPA as average percentage of administered mescaline present in urine in humans (by hourly intervals).

0-1h	1-2h	2-3h	3-4h	4-5h	5-6h
0.9%	1.7%	4.1%	3.7%	3.5%	3.1%

6-7h	7-8h	8-9h	9-10h	10-11h	11-12h
2.5%	2.0%	2.1%	1.0%	0.9%	0.7%

Suggested readings on the metabolism of mescaline
(mainly from LaBarre)
Wolfram & Katarina Block and Frederick & Mary Bernheim are both husband and wife teams.
Bernheim & Bernheim 1938
Blaschko 1944-1945
Block 1953a, 1953b, 1953c, 1954a & 1954b
Block & Block 1952c
Block *et al.* 1952a, 1952b & 1952c
Cochin *et al.* 1951
Friedhoff & Goldstein 1962
Lewis & McIlwain 1954
Osmond 1957
Patzig & Block 1953
Polono & Maffezzoni 1952
Smolska 1932
Spector 1961
Vogt 1935
Woods *et al.* 1951

Biochemistry of mescaline (Miscellaneous observations)

Demisch & Neubauer 1979 reported a four fold increase in prolactin and a stimulation of growth hormone secretion.

Moderate doses of mescaline markedly inhibit the pressor effect of adrenaline without altering its acceleration of the heart rate.

Strong solutions of mescaline arrest perfused frog heart due to a direct action on the heart muscles. Cardiac arrest is in diastole. [the period between contractions of the heart; i.e. when it fills.] Citing Grace 1934

Mescaline has been shown to cause a decrease of noradrenaline (norepinephrine) levels in the brain.
by Barchas & Freedman 1963
and Diaz *et al.* 1968
and Leonard & Tonge 1969

Norepinephrine receptors in the locus coerulus were found to have their spontaneous activity suppressed despite the fact that at the same time there was an increase in reactivity to peripheral stimuli. Aghajanian 1980

It has also been shown that facial motoneurons were sensitized to the effects of both 5-HT and norepinephrine by mescaline according to McCall & Aghajanian 1979. Mescaline was also reported to enhance the startle response to tactile stimuli by Geyer *et al.* 1978.

In contrast to LSD's inhibition of neurons in the mid-brain raphe, mescaline selectively inhibited less than half of the raphe units they examined and actually increased the activity of some others similar to the action seen with amphetamines. [LSD and DMT inhibit all raphe units] The vast majority of the inhibited raphe neurons were located in the ventral portion of the dorsal raphe or the dorsal aspect of the median raphe. Some raphe units on the dorsal portion of the dorsal raphe were found to be accelerated by mescaline. The response seen with midbrain units outside of the raphe showed either no effect or acceleration of their activity.
Aghajanian *et al.* 1970

Low concentrations of mescaline facilitate serotonin induced contractions of isolated rat uterus and it contracts the uterus on its own at higher dosages. Atropine has no effect on the effect but uterine contractions are inhibited by chlorpromazine. Citing Costa 1956a & 1956 and Delay & Thuillier 1956 and Thuillier 1956 (above from Kapadia & Fayez 1970)

[Costa 1956] also found an increase of the action of 5-HT on isolated uterus from small doses of mescaline and found it to stimulate uterine contractions on its own when used in large amounts.

Åström & Samelius 1957 found (slight) enhancement of serotonin activity to be occasionally but not regularly present and found mescaline to be a vasoconstrictor in large amounts.

Vasopressor activity was reported for mescaline in humans by Chaumerliac & Roche 1948.

Mescaline is said by Aboul-Enein 1973 to have no effect on the aromatic amino acid decarboxylase activities in the brain. (Nor on MAO activity)

Mescaline does interfere with many glucolytic pathways in the brain (at least in *in vitro* studies or *in vivo* studies involving very large dosages). Stevenson wondered if the brief lived partial amelioration of some of mescaline's effects resulting from large intravenous dosages of sodium succinate was due to it providing the brain with an energy source that could be utilized via a pathway which mescaline did not affect.

NAD synthesis in the brain was found to be decreased in the brain by Daniel X. Freedman *et al.* 1970 [from Aboul-Enein 1973] Appelt and coworkers reported that pretreatment with mescaline resulted in increased NAD levels in the brain of mice (*in vivo*). The imbalance of NAD may be due to the interference with glycolysis (mescaline is known to inhibit pyruvate oxidation which requires NAD). One thing which must be stressed is that in almost all cases the concentration causing the biochemical effects are far higher than would normally be encountered *in vivo.* [Even Appelt *et al.* used 100 mg per kg.] (A similar example reported *in vivo* is Speck observing hypoglycemic effects to be present only at very high doses.)

Mescaline inhibits the oxidation of glucose, lactate, pyruvate **[Note 73]** and glutamate in minced guinea pig brain, but was determined to have no effect on sodium succinate oxidation. by Juda Hirsch Quastel & Arnold Herbert Maurice Wheatley 1933 [Similar inhibitions were observed for a wide variety of amines.] Their minced guinea pig brains were allowed to incubate for 2 to 3 hours in the presence of inhibitor and absence of substrate before adding substrates. (They used a concentration of $4x10^{-3}$ M of mescaline.)

F.W. Schueler 1948 confirmed their observations using minced rat brains. He also pre-incubated and used the artificially high mescaline concentration that Quastel & Wheatley evaluated.

Mescaline was also determined to have no effect on succinic dehydrogenase and a very weak or no effect on cytochrome C oxidase, by Leland C. Clark *et al.* 1954 (using a 10 mM concentration of mescaline), nor did it have any effect on oxalosuccinic decarboxylase, oxaloacetic decarboxylase or the transaminase which catalyzes the reaction from ketoglutarate and alanine to glutamate and pyruvate, according to Wolfram Block, his wife Katarina and Bernhard Patzig [1952].

Clark's group DID find that brain homogenates inhibited the oxidation of pyruvates.

During Joyce L. Lewis & H. McIlwain's 1954 studies of the effects of mescaline on the respiration of sliced guinea pig cortex, it was found that electrically stimulated respiratory and glycolysis activity was inhibited by 10^{-3} M of mescaline. This concentration of mescaline was found by James A. Bain 1955 to have no effect on oxygen uptake or on the associated phosphorylations of mitochondria in rat brains respiring *in vitro* on a pyruvate substrate.

While glucose oxidation and lactate production were found by Lewis & McIlwain to be inhibited by 10^{-3} M of mescaline in electrically stimulated guinea pig brain slices; 10^{-2} M of mescaline had no effect on either, in unstimulated slices of guinea pig brains.

Mescaline sulfate was observed to lower the total nonprotein sulfhydryl concentration in rat liver but had no significant effect on brain levels by C.A. Bradley *et al.* 1961.

One other interesting note is that Poloni & Maffezzoni 1952 found that there was no change in acetylcholine content in brains of animals which had been given mescaline.

(Mostly from Bain 1957 and Neff & Rossi 1963
Their references:
Bain 1955: Unpublished laboratory results.
Block *et al.* 1952a
Bradley *et al.* 1961
Clark *et al.* 1954
Lewis & McIlwain 1954
Poloni & Maffezzoni 1952
Quastel & Wheatley 1933
Schueler 1948

A slight increase in excretion of 17-Ketogenic steroids was observed in schizophrenics given 5 to 6 milligrams per kilogram by L.E. Hollister 1968, but, "*although often associated with stress*, [it] *was poorly correlated with other clinical or physiological signs of stress*."

There has been a mescaline-induced instability of ribonucleoprotein particles in the brain **[Note 74]** which has been suggested to be involved with its recognized disruption of learned behavior by Datta & Ghosho 1970.

It was thought that the observed ribosomal changes reflected generalized cellular disorganization as mescaline showed **no effect** on the enzymatic activities of freshly isolated brain cortex ribosomes. (This indicated that the effect of mescaline may not be a direct one.)

It is thought possible that instability is related to partially blocked hydrogen bonding sites in nucleic acid resulting from methylation, as demethylation of mescaline in brain cortex slices was found to be associated with methylation of ribonucleic acid species by Datta & Ghosho 1970.

A truly interesting and important observation was made in the work of Van Vunakis *et al.* 1969, who found that mescaline could act as a hapten and elicit the production of antibodies specific for the 3,4,5-Trimethoxyphenyl group. Van Vunakis proposed that administration of antibodies for mescaline might possibly be able to reverse the drug's effects similar to the action reported for serotonin specific antisera of blocking the response to subcutaneous serotonin.

Animal toxicity summary
(See more under mescaline physical data in Ch 5)

LD_{50} in rat:
Intravenous 157 mg/kg
Intraperitoneal 370 (330-410) mg/kg
Subcutaneous 534 mg/kg
Hoshikawa 1962
Speck 1957 found an LD_{50} of 370 mg/kg ip in rats for mescaline sulfate (1.32 mM/kg) (mM of mescaline base/ kg. mM as given by Speck)

Delay *et al.* 1950 found LD_{50} of 177.5 mg/kg iv in mice mescaline sulfate (0.65 mM/kg) (mM as given in Hardman *et al.* 1973)

Hardman *et al*. 1973: (synthetic hydrochloride from Army Chemical Center, Edgewood Arsenal.)

Mescaline	Route	LD_{50} mg/kg	LD_{50} mM/kg
Mouse	ip	212	0.86
Rat	ip	132	0.53
Guinea pig	ip	328	1.33
Dog	iv	54	0.22
Monkey	iv	130	0.53

Davis *et al.* 1978 found: (synthetic hydrochloride from Sigma)

Mescaline	Route	LD_{50} mg/kg	LD_{50} mM/kg
Mouse	oral	912	3.681
Mouse	iv	110	0.444
Rat	ip	270	1.090
Dog	iv	68	0.274
Monkey	iv	160	0.650

The dose in monkeys was determined as the minimal lethal dose rather than the LD_{50}.

Notes on pharmacology were primarily drawn from:
Aboul-Enein 1973
Aghajanian 1994
Anderson 1980
Charalampous *et al.* 1966
Davis *et al.* 1978
Fischer 1958
Greenblatt & Osterberg 1961
Hardman *et al.* 1973
Ho *et al.* 1970
Jacobsen 1963
Kapadia & Fayez 1970
Musacchio & Goldstein 1967
Neff & Rossi 1963
Neff *et al.* 1964
Nieforth 1971
Speck 1957
Unger 1963b
other sources are noted where they are discussed.

See also:
Arthur Heffter 1894a *Archiv für Experimentelle Pathologie und Pharmakologie* 34: 65-86. (pp. 78-79)

An interesting quotation encountered in Neff:
"*Man has often sought of drugs the marvels he could not find in the actuality of his monotonous life.*"
Sicé 1962: p. 593.

Mescaline pharmacology endnotes

The effects produced by the major hallucinogens are often equated; most noteably in the minds of nonusetrs.

The effects of the major hallucinogens LSD, mescaline and psilocybin are somewhat similar to each other but they can usually be told apart by experienced users ***if used at substantial enough levels***. At the lower dosage levels (especially if dosage levels are in the neighborhood of threshold; i.e. the least amount to produce hallucinogenic effects.) there is often far greater difficulty is distinguishing them, even if the user is experienced.

The inability to distinguish between these compounds has been noted by such investigators as Abramson 1960; Isbell 1959; Ott 1993/1996; Schwartz 1988; Wolbach *et al.* 1962.

The ability of their experimental subjects to differentiate between different hallucinogens has been noted by: Ban *et al.* 1961 and Pollard *et al.* 1960.

[Those drugs such as salvinorin A, PCP, ketamine, ditran and the belladonna alkaloids, although each is considered hallucinogenic in its own way, have such different effects that they are readily distinguished at any effective dosage level. Similarly, these compounds and such agents as Ditran (JB 329) do not show the development of cross-tolerance with LSD, psilocybin or mescaline.

Salvinorin A seems to be markedly potentiated by LSD but apparently formal work is lacking. Interestingly an anonymous friend reported that a kappa-opioid antagonist in veterinary use produced effects very reminiscent of salvinorin when bioassayed. Interestingly it turns out that this action was long known for this group of agents but the workers noticing it felt that it was of no usefulness or interest so it never reached public notice until salvinorin A.]

Note 1: Pretreatment with phenothiazines, amphetamines or amytal decreased the uptake of mescaline into cortical fractions, the liver, the lungs and the kidneys. Aboul-Enein 1973 cites Denber & Teller 1968

Note 2: Acrocyanosis is characterized by a cyanotic discoloration of the extremities, especially the hands and is accompanied by a coldness and sweating. It is normally "*caused by arterial spasm that is usually precipitated by cold or by emotional stress*". Also known as **Raynaud's sign** or Raynaud's phenomenon. From Mosby's 1994: p. 21.

Note 3: Note that one of Anderson's 3 references, Deniker, was using 10 mg/kg intravenously. This is a very solid dose.

Note 4: Deniker, using the hydrochloride iv, found that both were increased by about 3 to 5 respirations per minute during the first hour and returned to baseline after the second hour

Note 5: Deniker's study showed a elevation of blood sugar during the first 10 minutes following intravenous injection. It reached a maximum after 30 to 60 minutes and between two and four hours later returned to baseline. On average (19 subjects), it had been increased 35.1% from baseline.]

Note 6: Interesting, considering the proven ability of mescaline to serve as a hapten for antibody production. see Van Vunakis *et al.* 1969.

Note 7: A class of larger leukocytes that occur in increased levels accompanying inflammatory conditions. Allergies and some parasite infections increase the numbers while steroids decrease them. Mosby's 1994: p. 558.

[Levels of many naturally occurring hormones, such as cortisol and prolactin, are increased by hallucinogens including mescaline; in the case of mescaline, growth hormone is still at elevated levels 24 hours later.]

Note 8: Chweitzer *et al.* 1937 reported a reduction of wave amplitude and an increase in periods of stillness as portrayed on the EEG of humans (during mescaline intoxication). These effects were said to last several days.

Note 9: Even potentially life threatening acts such as rock climbing are approached with greater than normal caution and one is far more likely to **underestimate** their capability and performance than to be overconfident in their actions. It is extremely unlikely that an individual under the influence of mescaline will take any reckless risks unless they have also ingested a large dosage of alcohol.

In some individuals I have noticed a peculiar tendency, which if present also accompanies their use of LSD or mushrooms, to ingest peyote then attempt to drink enough alcohol to blur what they encounter and enable them not to have to process what they learn about themselves. I find it odd and wonder why they bother using entheogenic drugs. I assume this is as close as they dare come.

Note 10: Peyote is a more powerful and intense experience than pure mescaline. The San Pedros are far more similar to pure mescaline than they are to peyote. A peyote experience can be attained by use of the isolated alkaloid fraction of peyote as long as the other alkaloids are present along with the mescaline.

Note 11: In this manifestation of its spirit (in a non-drug induced dream), she was a kind and loving doctor who had very long thin nipples with one long cactus spine at the base of each of them.

Note 12: This is especially prevalent at high dosages where a horizontal elongation and a vertical compression may be experienced.

Occasionally it is accompanied by a dissolution of right angles, their absence being compensated for by bends in normally straight lines.

Note 13: Sometimes while distant objects simultaneously seem very near.

Note 14: Deep and complex three dimensional texture is fairly common on flat surfaces.

Note 15: This is especially prevalent when administered to psychiatric patients (the hapless subjects of *many* published hallucinogen studies). It should be considered to be common sense that mentally disturbed people should under no circumstances be given hallucinogenic drugs. They are humans, not some sort of guinea pig or lab rat for use in laboratory evaluations. Their world view is fragile and often unstable.

It has been known (since the 1950s) to commonly cause a worsening of their condition and/or an reversal of previous recovery they might have made, as well as causing a wide variety of negative reactions which do not occur in "normal" people.

It is neither correct nor is it even remotely ethical for them to be experimented upon with this class of drugs.

Note 16: As previously mentioned, I have frequently noticed that whenever it is required by my surroundings or circumstances the intoxication will seemingly vanish or abate enough for me to deal with what I have to and will return once I am again free to perceive it fully. For me, this has included potentially, and immediately, life threatening circumstances on several occasions.

Note 17: One of the colors I thought was only seen during hallucinogenic experience I noticed in the real world only a few years ago. The only place I have seen this color, besides in my mind, is when noticing that it was also sometimes (but not always) the color of the moon during the peak of a total lunar eclipse. Accordingly I refer to it now as '*lunar eclipse*' simply for lack of a better or more descriptive name.

I have not yet seen the other colors in the outer world but suspect they also exist.

Several amazingly intense and electric *shades* of blues and purples that I had only seen previously while tripping were also noticed (a few years ago) as gemstone colors from a fairly new find of Brazilian tourmaline which included copper, gold and silver as trace elements in their composition [Coincidentally this find comes from an area with former traditional use (and widespread local occurrence) of the DMT containing *Mimosa hostilis*, *Mimosa ophthalmocentra* & *Mimosa verrucosa*.]

The stones from this locale included a number of colors and shades never before encountered in tourmaline or any other gemstone. Words like 'neon', 'high voltage' and 'hot electric' frequently show up in descriptions from the few dealers fortunate enough to have obtained stock of this material.

It first appeared on the US market at the Tucson Gem & Mineral Show in 1990. Prices are currently absurd for the best colors. I hope that more finds are encountered. Most stock on the market is very lame when compared to the top end goods. Very few dealers have truly representative stones.

Note 18: Unger 1963b offers the following: [from Malitz *et al.* 1960] "[The effect] *of hallucinogens is not limited to any single agent since, in addition to psilocybin, we have seen it with LSD-25 and mescaline. The environmental setting in which the drug is administered...affects the emerging behavior pattern. This factor may account for variations in results with different investigators. Our hospital setting, with the subject, a paid volunteer, receiving an unknown agent, in an experimental framework surrounded by doctors and nurses, differs markedly from the mystical setting Wasson observed...Only one of our subjects reported what might be described as a transcendental experience...The difference in expectation and setting between these two grossly divergent groups may account in part for the disparity in their responses.*"

Note 19: Exceptions do exist; some people who exhibit extreme risk taking behavior, while not considered normal, do enjoy their use, sometimes to great excess.

Note 20: Many LSD "*patients*" are sane individuals being treated for such things as alcoholism. Hallucinogen assisted therapy has, at the very least, had as high of a success rate at treating alcoholism as more traditional routes, including AA.

Some raise the question if drug use itself is not a sign or form of mental illness. This is generally not the case.

Preconceived prejudices against drug users heavily tints (or clouds) the opinion making processes of many professionals.

Jean Maxwell, a "*researcher*" with the Texas Commission on Alcohol and Drug Abuse said that she doesn't like peyote being touted as cure for alcoholism. She commented

"*It is substituting one psychotropic substance for another.*

Everything came about as a cure for something. Heroin was meant to be a cure for opium addicts."

Grant 2000

One has to wonder exactly what Ms. Maxwell "*researches*".

Heroin was once *promoted* as a cure for morphine addiction in the 1800s but this is hardly what it was ever "*meant to be*".

Further, when hallucinogens such as Iboga or Peyote are used for breaking addiction cycles, it is largely due to their profound benefits at promoting conscientious introspection and soul searching, not replacing the effects of one drug with another in order to stave off withdrawal symptoms.

Confusion on this point (and the odd claim that hallucinogen psychotherapy is "*just replacing one drug with another*") is so common that it has to be wondered if it is not being actively & deliberately perpetuated.

The track record of success for such therapeutic approaches for breaking addictions or drug abuse cycles meets or exceeds that of ALL other alternatives. The clinical reports involving ibogaine are particularly impressive in this regard. No doubt part of this arises from the common misperception that hallucinogen use is aberrant or unnatural behavior. Another potential source could be the threat this poses to the current addiction treatment paradigm that offers help in the form of professing a belief in some higher intelligence or authority, a confession and acceptance of powerless over the addiction and the creation of a support network comprised of other addicts. This approach can easily be proven to have failed for MOST people who have tried it.

Unlike hallucinogen adjuncted therapy, the approach used by AA or NA can in fact be said to be simply replacing the use of one drug with another (That other "drug" demonstrably being either religion or a spiritually-sanitized facimile of a religion where God has been replaced by a fellow drunk or another surrogate) Religion was not inaccurately termed the opiate of the masses.

Hallucinogen ingestion is undoubtedly of ancient origin (many millennia are clearly preserved in the archaeological record), may have given birth to religion itself and is even observed among animals. Not nearly enough people are aware that by the late 1970's Ronald Siegel had documented more than 300 cases of deliberate hallucinogen ingestion by animal species other than humans. This is a minority but not an aberrant behavior. See Chapter 13 in Dobkin de Rios 1990 for a nice discussion or See Giorgio Samorini's book *Animals and psychedelics* (The Italian first edition was previously titled *Animals that drug themselves*.)

Note 21: They used injections of quarter to half gram dosages. (450 mg was determined to be a good dose.) Sulfate from Merck and both sulfate and hydrochloride from Roche were used

Note 22: As they told us in biochem, "*In Organic Chemistry the molecules were simple and the chemistry complex, in Biochemistry, the chemistry is simple, it is the molecules that are complex.*"

Note 23: *W*hich is caused by a polypeptide (a short protein) named scotophobin that has been isolated from the brains of rats.

[See the Merck Index 9th ed.: Entry #8164]

It has been both sequenced and tested pharmacologically in rats, mice & fish. It produces conditioned dark avoidance for about a week after injection into untrained laboratory animals.

Note 24: Using barpressing behavior to judge the development of tolerance, Appel & Freedman 1968 concluded that tolerance developed **quickest to mescaline** (2-3 days) and **slowest to LSD** (5-6 days). We are not convinced this is an adequate model.

Note 25: There are also such unfortunate statements in print as that of Aboul-Enein 1973 who inexplicably stated "*Mescaline does not cause addiction and withdrawal effects usually appear within 3-4 days after the drug is discontinued*."

Note 26: A curious statement, mentioned earlier, made by many people over the years, perhaps best summed up by Alan Watt's '*When you've got the message, it's time to hang up the phone.*', is often presented to dismiss or discredit the long term occasional use of hallucinogenic sacraments.

I agree somewhat with its sentiment as far as not over using the sacraments, or approaching them casually or on the point of not expecting these drugs to do anything more than teach, after all it is us who must actually do both the learning and the entirety of the work, but with the sacramental plants there is even more to it.

True, we should not rely on them as crutches or become dependent on them as our sole source of learning, much less treat them callously or trivially, but stop for a moment and apply this statement to other religious practices.

If you have a spiritual awakening, this does not mean there is no further use or need for spiritual learning or growth. A major pitfall with such practices is believing you have arrived at your final destination rather than understanding that you have just taken another step and arrived at a new place to begin from.

One might wonder how a mainstream Christian would react if being told that if they truly were "*saved*" they have no further need to pray, take Communion, go to church or practice their religion. The key is to keep things in their place and in the proper perspective.

LSD cannot be equated with the plant sacraments. It is a powerful and very useful tool, especially for introspection or psychoanalytical work and/or programming/deprogramming. but it lacks the clarity and interactiveness of peyote and the DMT plants. It is not amenable for frequent use as its deprogramming is nonselective, especially when high dosages are used with any frequency. It is however quite an interesting drug to use for its potentiating effects on other substances such as mushrooms, DMT and a wide variety of other psychoactives. While we feel that LSD is a potentially beneficial drug, it is so powerful and pervasive in certain regards, it should be approached with great respect, caution and infrequency due to its largely nonselective deprogramming/reprogramming capabilities. The casual use of tiny 'party dosages' or 'candy acid' is a very disturbing phenomenon. Most of these people DO NOT understand what they are doing. All drug use should be approached with eyes wide open.

Note 27: Development of cross-tolerance means that once a person has used a particular drug long enough for it to produce no effects (in the case of the hallucinogens; usually just several days), a drug showing cross-tolerance will similarly produce no (or minimal) effects if administered at that time.

Note 28: While this prescription drug is non-hallucinogenic in normal dosages, Ott 1993 p. 445 notes that it **is** entheogenically active when used in dosages exceeding 7.5 mg orally with a reported threshold (approximating 25 μg of LSD) at 4.3 mg.

Note 29: BOL 148 was found inactive in dosages up to 500 μg by Abramson & Rolo 1967; it is thought by some that it might be active on its own at far higher dosages. Apparently though, all observations of activity which we could locate indicated that physical symptoms predominated rather than mental effects. In double blind tests, trained subjects were found unable to reliably distinguish BOL as such. It is said by Murphee to synergize somewhat with LSD in subjective effects when given in dosages over 1000 μg. Lower dosage did not block the subjective effects of LSD although it did interfere with the ability of trained subjects to judge what dosage levels they had been given. Another interesting interaction is that, in combination with LSD, BOL 148 abolishes the effects of LSD on blood pressure in spite of having no effects on blood pressure when given on its own. It also stopped the dilation of pupils associated with LSD. See Murphee *et al.* 1958

Note 30: Round with 6 short shafts of antenna-like projections each with two tiers of short curved planes. (Proximal, distal and cardinal directions. Obviously the distal was out of sight and only assumed to be present.) The short curved planes were parallel to the very slightly flattened central circle and were pulsing in place; at approximately 4 cps

Note 31: An excellent "*working state*" for artists and writers.

Note 32: Meaning that some elements of the experience were affected much more than were others. For example, somatic effects (such as mild rushing) and visual distortions were increased much more than were colored visual effects.

Note 33: An interesting observation can be made when noting that while harman is a fairly potent MAO inhibitor, it apparently will not enable oral activation of DMT (at least not at oral dosage levels of up to 250 mg).

However, both harman and norharman have been isolated from both cured tobacco and from tobacco smoke. In view of the fact that North American peyote users combine tobacco use with peyote and South American San Pedro users ingest tobacco nasally in an ethanolic aqueous solution, there is additional evidence for traditional use of the combination of some known MAO inhibitors with mescaline. (For an interesting look at tobacco usage, see Janiger & Dobkin de Rios 1975.

It must be remembered that *Nicotiana rustica* is far more commonly used than *N. tabaccum* which is the primary source for commercial cigarettes. *N. rustica* is far more powerful and psychoactive. The tobacco industry apparently considers it fit only for insecticide.

Note 34: Unfortunately Dr. Hoch was not alone or unusual in regarding hospitalized mental patients as lab animals. In spite of the best of intentions by their tormentors, many people hospitalized for psychiatric "treatment" have received what in retrospect has been questionable approaches. We must again point out that not only are psychiatric patients poor models for understanding human consciousness but by all accounts hallucinogens are contraindicated for use in any psychotic individual. It had, at that time, and has, since then, been frequently noted that the condition of the mentally ill could be worsened and that even progress which had been made previously could be undone. At the very least this approach and methodology must be considered as something less than ethical. See Ott 1993 and 1994 for a far better discussion of such unethical human experimentation.

Note 35: Amytal was found to have no anti-mescaline effects in mice by Deegan & Cook 1958.

Note 36: Synthetic narcotics include such compounds as meperidine (Demerol or Pethidine), anileridine (Leritine), fentanyl (Sublimaze), keto-bemidone etc.)

Note 37: i.e. morphine, codeine; the naturally occurring alkaloids.

Note 38: Semi-synthetics are those drugs such as diacetylmorphine (heroin or Diamorphine), hydromorphone (Dilaudid), oxymorphone (Numorphan) etc.

Note 39: According to Mayer-Gross 1951, this was taken by Quastel and Wheatley to imply a mode of action similar to the narcotic drugs and suggested to them that sodium succinate would be antidotal. Mayer-Gross mentions that a report from J.R. Smythies (personal communication in 1951) indicated that he had determined that oral administration of sodium succinate terminated the symptoms of mescaline. Neither details nor dosage was included by Meyer-Gross. It may be noteable that this is not used in modern cases which tend to use such drugs as phenothiazines like Chlorpromazine. One must wonder wha t type of effect that sodium succinate would have on DOM and similar analogs. [Death?] Unlike mescaline, many of the amphetamines actually increase the activity of the succinic dehydrogenase system.

Other compounds, such as 3,4-Dimethoxy-phenethylamine, 4-Hydroxy-3,5-dimethoxyphenethylamine and N-Methylmescaline are inhibitors of it; 3,4-Dimethoxy-phenethylamine is a strong inhibitor. See Clark *et al.* 1954

Note 40: According to Stevenson & Sanchez 1957; after Quastel and Wheatley's observation that many active amines, including both barbiturates and mescaline, do not inhibit succinate oxidation, the use of sodium succinate to antagonize barbiturate anesthesia and poisoning was explored in animals and in man. [citing Soskin & Tabenhaus 1943 and Beyer & Latven 1944 and Pinschmidt *et al.* 1945 for animals; and Soskin & Tabenhaus 1943 and Campbell *et al.* 1946 and Barrett 1947 for humans]. Schueler 1948 first repeated the *in vitro* experiments of Quastel and Wheatley and finding confirmation, conducted four experiments on humans in which he found a short-lived antidotal effect on some of the symptoms produced by mescaline.

Note 41: This is an unlikely scenario. Death via a mescaline overdose would be a particularly unpleasant and ineffective way to go. (A couple of ounces, or so, of pure mescaline sulfate taken orally, or 15 to 20 grams injected intravenously, MIGHT well do it.) The sensory overload and the force of the wave movement would be absolutely and painfully overloading on both conscious and physical perception.

Note 42: Rabbits are said to be 70 times less susceptible to the effects of mescaline than humans but we have not yet found LD_{50} figures. [Slotta & Müller 1936]

Note 43: The retina provides a field of signal due to interactions of incoming photons. This is translated into linear signal that is processed in the brain and represented to us INTERNALY as vision using the very same projection and imaging mechanisms that our nervous system uses for dream vision portrayal.

The fact that vision *APPEARS* to be outside of us is entirely an illusion; a very useful one but an illusion nevertheless.

Vision in a sane person can be accurately described as a waking hallucination with details that correspond to the impacts of reflected light registering on the retina.

The primary difference between waking vision and dreaming vision is the source of the signal that said vision is based upon. During sleep we are relatively unresponsive to external signal. When awake (assuming a normal state of consciousness) our vision is primarily based on incoming external signal.

Note 44: This was also reported by Friedhoff & Goldstein 1962. However, Smythies *et al.* 1967 found that pretreatment with Iproniazid enhanced the effects of mescaline.

Note 45: Chlorpromazine and others were found highly effective but promazine was found ineffective by Denber 1957 and, while effective, Diethazine given before mescaline produced an acute state in some patients featuring "*muscular weakness, a staggering gait, difficulty in verbalization and acute panic*." Denber 1956 (From Patel 1968)

Note 46: 6-[2-[4-[bis(4-Fluorophenyl)methylene]-1-piperidinyl]7-methyl-5H-thiazolo[3,2-a]pyrimidinin-5-one. [Say that one 5 times quickly]

Note 47: 4-Isopropyl-7-methyl-9-(2-hydroxy-1-methylpropoxycarbonyl)-4,6,6A,7,8,9,10,10A-octahydroindol(4,3,-FG)quinoline maleate

Note 48: Hoch 1955 claimed effectiveness in humans; see elsewhere here. Similarly Wikler 1954, found the injection of barbiturates to be promptly effective at relieving anxiety induced by mescaline

Note 49: Although totally unrelated, Meprobamate produces a distinct euphoric effect when smoked that it does not produce when orally ingested. I do not know the reason for this effect nor the safety of this practice.

Note 50: While perhaps not exactly belonging under this heading, a peculiar observation was made by Chweitzer & Geblewicz 1938 concerning the effects that mescaline had of disrupting the normal coloration of the fish *Carassius carassius* and affecting its ability to respond in color to suit its surroundings.

Perhaps unrelated, we have noticed that the popular 'Angelfish' show a dramatic fading of the black colored bands (turning a silvery color) on their body when intoxicated by *Cannabis*. As with Chweitzer & Geblewicz's observation, the fish returned to its normal coloration, once the effects had worn off.

Note 51: The progressive lessening of the time for onset with increasing dosages is interesting in light of our only experience of rapid onset of San Pedro being that of a huge dose involving only old and well fed plants. However, we assume we were still below most of the levels they describe. (10< ? <25 mg/kg ?)

Note 52: Calcium carbimide is also known as cyanamide. According to the Merck Index it is used as a fertilizer, defoliant, herbicide, pesticide, and also in various manufacturing operations.

For our current discussion it also inhibits the activity of the aldehyde dehydrogenase that they believed catalyzed the reaction from 3,4,5-Trimethoxyphenylaldehyde to 3,4,5-Trimethoxyphenylacetic acid.

Note 53: Charles Darwin was quoted as stating: "*We know that ants and certain Lamellicorn beetles are capable of feeling an attachment for each other, and that ants recognize their fellows after an interval of several months*."

Note 54: Darrell Royal has been quoted as saying "*You can put kittens in the oven but that doesn't make them biscuits*."

I couldn't agree more.

Note 55: What was it that Heisenberg said....

Note 56: I also would question the use of incarcerated prisoners. As they will generally seek any form of escape from their condition, they can hardly be viewed as making the choice without duress. Of course as over 60% (as of 1993) of our current Federal prison population is locked up for drug related offenses some have argued that this is an ideal group for experimentation. It is curious that in this, drug offenders are being asked to do what they were imprisoned for in the first place.

The arrogant hypocrisy of this is reminiscent of the use of addicts, in the federal drug treatment facilities at Lexington, who were paid with heroin for their willingness to test other drugs (including potential chemical warfare agents) This shameful example has been detailed by a number of researchers including Ott 1993.

I would like to point out that for this same reason, namely that they were locked up primarily because of their personal belief they had a right to govern their own consciousness, we are building a very curious and lamentable **National Gulag for drug users**. (From 1980 to 1993 the drug offender percentage of the total Federal prison population nearly tripled. It has continued to grow, thanks to increased emphasis on the war on drugs, mandatory minimum sentencing, the ease of obtaining life sentencing in conspiracy cases and the elimination of parole.

It should be added that the official estimate in 1998 was not only that the majority of all prisoners were drug war prisoners but also that, of the drug offender population presently incarcerated, 80% were there for simple possession.

Note 57: In brain; other tissues (blood, lung and gut) showed no such effect.

Note 58: All three compounds were also found to antagonize the scratching episodes produced in mice by mescaline; by Deegan & Cook 1958.

Note 59: Clinical pharmacology of mescaline is also discussed by Aboul-Enein 1973

Note 60: This was Fischer's model of strength or affinity for receptor binding, and hence prediction of activity. Mescaline was found to have 0 affinity as opposed to LSD and LAE (Lysergic acid monoethylamide).

Note 61: Snyder & Richelson 1968 (from Nieforth 1971), pointed out that mescaline is capable of assuming a configuration which resembled an indole due to hydrogen bonding between the amine and either *ortho* position on the aromatic ring.

Note 62: The reports of other potential metabolites not found in humans that were thought to be active are discussed elsewhere here.

Note 63: This was not administered but formed when pretreated with calcium carbimide [cyanamide] before being given the alcohol.

Note 64: The report of Friedhoff & Goldstein 1962 is repeatedly cited in the literature as showing that 3,4,5-Trimethoxyphenylethanol or the corresponding aldehyde is a mescaline-like or an active metabolite. This deserves a comment. First, the effects ascribed to it only remotely resemble any of the observation they listed for animals given mescaline. And, most importantly, 3,4,5-Trimethoxyphenylethanol has never been observed as be a component in human metabolism.

Note 65: Aghajanian *et al.* 1968 found that while inhibitory responses of raphe neurons were observed with LSD and DMT, mescaline only showed an inhibitory response in a subgroup of raphe cells. Aghajanian 1970 proposed that the site of activity was serotonin neurons of the raphe nuclei.

Note 66: Aghajanian describes it as a "*novelty detector*".

Note 67: Discussion was heavily drawn from Aghajanian 1994 (who cites Haigler & Aghajanian 1973 & 1980 and Rasmussen & Aghajanian 1986 & 1988 and Heym *et al.* 1984) and was further aided by Spinella 2001.

Note 68: Keup 1959 reported the incorporation of LSD into a complex with a variety of tissue proteins both *in vivo* and *in vitro* in rats.

Note 69: However, binding with PLASMA proteins was noted *in vivo* by Oh *et al.* 1967

Note 70: Licking, chewing, and preening with no pupil dilation is what was described. Mescaline (and many other drugs) produce the first three; with pupil dilation, unless pretreated with calcium carbimide

Note 71: Also observed *in vivo* in rats by Friedhoff & Goldstein 1962

Note 72: Rinkel also includes this statement in Rinkel 1957. He mentions radiolabeled mescaline injected into mice had its greatest accumulations in the liver and kidneys. It apparently was found to have disappeared from the brain of the mice after 30 minutes, which was said to be the time mescaline began to be active in man. Rinkel cited Block *et al*. and listed Block 1953a & 1953b & 1954a & 1954b & Block *et al.* 1952a & 1952b & 1952c. [Time courses in animals cannot be reliably extrapolated to humans any more than metabolism can.]

Note 73: Also observed by Clark *et al.* 1954 and others.

Note 74: *In vitro with goat brain slices at a 10-4 mescaline concentration.*

***Opuntia* sp.**
Sinaloa, Mexico
(UC)

"More than you need to know?"

Chapter Four

Phenethylamines Reported from the Cactaceae: N-Methylmescaline — 2,6-Dichloromescaline

Trichocereus candicans (Field)

sold as
***Trichocereus pachanoi* spiny wild type North Peru**
JL Hudson told me that they obtained the seeds from Knize.

N-Methylmescaline

N-Methyl-3,4,5-trimethoxyphenethylamine;
3,4,5-Trimethoxy-N-methylphenethylamine.

CA Reg. No.: [4838-96-4]
Southon & Buckingham 1989: entry #M-00128

$C_{12}H_{19}NO_3$
MW 225.287

Free base:
bp 130-140º Southon & Buckingham 1989
Distilled under high vacuum at 105-120º (Air-bath)
Banholzer *et al.* 1952

Hydrochloride:
Crystals (From Methanol-Ether) mp 201-202º
Southon & Buckingham 1989
mp 201-202º Späth & Bruck 1937.

Picrate:
mp 177.5-178.5º Späth & Bruck 1937.
177.5-178º Reti 1950.
mp 178º Banholzer *et al.* 1952.

Human studies show no effects at levels of 25 mg.
Shulgin 1973 cited Shulgin, 1967 (Unpublished data)
No effects in man. Shulgin 1976 cited Shulgin 1973
N-Methylmescaline has decreased potency. [Ed.: This is *true*, but more than a little misleading.]
Hardman *et al.* 1973
Shulgin suspected this alkaloid to be the active component in the cactus *Pachycereus pringlei.* He suspected that it was only enabled to be active due to the co-presence of an MAOI.

Found to be a moderate inhibitor of succinic dehydrogenase.
Clark *et al.* 1954
Chromophore with tlc visualization reagents:
Fluorescamine (under UV) - Dark purple
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975
Brilliant yellow chromophore under UV with Dansyl-chloride.
Neal *et al.* 1972
O-Dianisidine reagent (equal volumes of 0.5% O-dianisidine in dilute HCl and 10% $NaNO_2$ in water) - Yellow
Lundström & Agurell 1967

Unable to adequately separate from N-Methyl-3,4-dimethoxyphenethylamine in:
Ethyl acetate-Methanol-NH_4OH 17:2:1
or Chloroform-Methanol-NH_4OH (80:20:1)
or Chloroform-Acetone-NH_4OH (10:8:1)
or Chloroform-Ethanol-NH_4OH (15:20:1)
Neal *et al.* 1972

UV λmax (hydrochloride): 212, 226sh, 270 μm (same as mescaline HCl) Speir *et al.* 1970

First isolated, from peyote, by Ernst Späth & Johann Bruck in 1937. [They also synthesized it.]

N-Methylmescaline has been reported from:
Cactaceae
Gymnocalycium achirasense Till & Schatzl
Štarha *et al.* 1998 (0.00013% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium anisitsii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (0.00031% [± 0.00004] by fresh wt.) gc, gc-ms
Gymnocalycium boszingianum Schütz
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum Ito
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium comarapense
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium curvispinum Frič
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium delaetii Backbg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00008% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium horridispinum Frank
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium marsoneri (Frič) Ito
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00151% [± 0.00015] by fresh wt.) gc, gc-ms
Gymnocalycium netrelianum Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00006% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00041% [± 0.0001] by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii Werd.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium riograndense Cardenas
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum
Štarha 1995a ("*readily apparent*" at around 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buin.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Lophophora diffusa (Croizat) H.Bravo
Bruhn & Holmstedt 1974 (trace) gc-ms. (Did not observe mescaline to be present.)
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms.
Lophophora diffusa* var. *koehresii Říha
Štarha & Kuchyna 1996 (0.07% [± 0.02] of the total alkaloid content) gc, gc-ms.
Štarha 1997 (0.1% of total alkaloid fraction; citing Štarha & Kuchyna 1996) gc-gc-ms.
Lophophora fricii Habermann
Štarha 1997 (0.1% & 0.1% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**; both were cultivated]
Lophophora jourdaniana Habermann
Štarha 1997 (3.2% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (0.09% [± 0.01] of the total alkaloid content) gc, gc-ms.
Štarha 1997 (0.1% of total alkaloid fraction; citing Štarha & Kuchyna 1996) gc, gc-ms
Lophophora williamsii
Späth & Bruck 1937. mp, mmp
Lundström 1971b (0.24% dry wt. i.e. 3% of 8% total alkaloid content) gc-ms
Pachycereus pringlei
Shulgin (personal communication) gc-ms.
Pelecyphora aselliformis Ehrenberg
Neal *et al.* 1972 (trace) glc, ms, tlc.
[Not detected by Štarha 1994]
Pelecyphora pseudopectinata Backeberg
Štarha *et al.* 1999a (1.11% [± 0.13] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (0.51% [± 0.11] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (3.27% [± 0.09] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (1.02% [± 0.21] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus* *var. flaviflorus (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (Trace detected) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (0.98% [± 0.24] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (3.2 ppm in early Spring / 30.2 ppm late Autumn in mixed fresh leaves, petioles & tender stems) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (1.8 ppm early Spring/ 35.3 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Alhagi pseudalhagi (Bieb.) Desv.
Ghosal *et al.* 1974 (9 mg from 10.3 kg of dry plant) tlc, uv, ms.
Ghosal & Srivastava 1973a. tlc, uv, ms

N-Formylmescaline

$C_{12}H_{17}NO_4$
MW 239.271

Free base:
mp. 68-69º (Also in Anderson 1980)
Entry #M-00128 in Southon & Buckingham 1989

Alkaloid from *Lophophora williamsii*
Kapadia & Fales 1968. (trace) glc-ms

N-Acetylmescaline

N-[2-(3,4,5-Trimethoxyphenethyl)ethyl]acetamide, 9CI.

CA Reg. No: [4593-89-9]

$C_{13}H_{19}NO_4$
MW 253.297

Free base:
mp. 94º Merck 9th
mp. 93-94º. ANDERSON 1980, Southon & Buckingham 1989 (#M-00128) and Reti 1950 [cited Späth & Bruck 1937 & 1938]
bp 185-195º at 0.02mm. Späth & Bruck 1938

Minor metabolite of mescaline in man. Charalampous *et al.* 1966.

Acute trials in humans (dosages between 300 and 750 mg.) produced no effects except for mild drowsiness at the highest levels that were evaluated. [Shulgin 1973 & 1976 cited Charalampous *et al.* 1966]

No activity was found, in humans, except for a slight drowsiness after one hour that was observed only at 10.4 mg./kg. Charalampous *et al.* 1966.

It was evaluated up to 40 mg/kg. in rats and found to cause no behavioral changes. Musacchio & Goldstein 1967 cited personal communication from Dr. Stephen L. Chorover of MIT.

It was judged as a moderate inhibitor of mitosis (much less so than mescaline itself) by Harrison *et al.* 1976 and they felt that its formation was responsible for the plateau that mescaline exhibited after the first couple hours.

This latter point was assumed rather than investigated and needs additional support.

First isolated by Späth & Bruck who also synthesized it.
Späth & Bruck 1938

Chromophore with tlc visualization reagents:
Fluorescamine (under UV) - No reaction
Dansyl-chloride overspray (under UV) - No reaction
Iodoplatinate overspray (visible) - No reaction
Ranieri & McLaughlin 1975
O-Dianisidine reagent (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water) - Pale brown
Lundström & Agurell 1967
Antimony pentachloride (20% in chloroform. This is a non-specific reagent.) - Pale gold.
McLaughlin & Paul 1966

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Späth & Bruck 1938 (traces) mp, mmp
Kapadia & Fales 1968 (traces) glc-ms

A **minor** metabolite of mescaline in humans

beta-Hydroxymescaline

α-(Aminomethyl)-3,4,5-trimethoxybenzenemethanol, 9CI; 2-Amino-1-(3,4,5-trimethoxyphenyl)ethanol; β-Hydroxy-3,4,5-trimethoxyphenethylamine.

CA Reg. # [13079-18-0]
Southon & Buckingham 1989 #H-00266

$C_{11}H_{17}NO_4$
MW 227.25 Dornow & Petsch 1951
MW 227.260 Southon & Buckingham 1989

Crude needles from xylene mp 138º
Dornow & Petsch 1951

Hydrochloride
mp 184º (From Ethanol) Dornow & Petsch 1951
Colorless crystals from water mp 189-192º Dornow & Petsch 1951
Needles from ethanol mp 196-199º. (synthetic ± form) Southon & Buckingham 1989
White needles recrystallized from Ethanol-Ether mp 200-203º. (Experimental value from synthetic.) Musacchio & Goldstein 1967

White needles (recrystallized twice from Methanol-Ether) mp 196-199º Friedman *et al.* 1963 They had obtained it initially from precipitating the hydrochloride by adding benzene saturated with dry hydrogen chloride to the base dissolved in cold benzene.

LD_{50} of hydrochloride:
440 mg/kg/ intraperitoneal in mice. Friedman *et al.* 1963. Their animal testers used male albino Swiss mice.

Slight transient signs of sympathomimetic activity in cats at 16 mg/kg. No evidence was seen of the effects produced in cats by 4 mg/kg of mescaline. (Animal studies done for them by Dr. Samuel Irwin)
Musacchio & Goldstein 1967

Kapadia & Fayez 1970 mention that Friedman *et al.* 1963 reported that the ***biological*** activity of β-hydroxymescaline was not altered from mescaline.
(They reported similar results from N-Methyl-β-hydroxymescaline which has not yet been observed in nature. This latter compound is the 5-Methoxy analog of normacromerine. The enzymatic potential for its occurrence does exist in several families of plants. Both Normacromerine and N-Methylmescaline have been found in the Cactaceae and also in the Leguminosae.)

Friedman's animal testing source found it to produce ataxia to fine tremors to clonic convulsions but that the animals were"*more subdued*" than with mescaline. They did not give the ranges they evaluated except for the lethal one.

Impurity detected in illegally synthesized mescaline. Southon & Buckingham 1989
Chromophore with tlc visualization reagents:
Fluorescamine (under UV) - Aquamarine
Dansyl-chloride overspray (under UV) - Aquamarine (unchanged from Fluorescamine conjugate; would be yellow if Dansyl-Cl used alone.)
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975

Synthesis:
Dornow & Petsch 1951
Dornow & Petsch 1952
Friedman *et al.* 1963

There appears to be no published account of anyone actually doing so but the procedure used by Ranieri & McLaughlin 1977 to convert Ubine to Dimethylphenethylamine should be directly applicable to producing Mescaline using β-Hydroxymescaline as a starting material.
Ranieri & McLaughlin performed hydrogenation in a Parr bottle with palladized carbon as the catalyst.

So far β-Hydroxymescaline has only been reported from *Pereskia grandiflora* Hort.
Doetsch *et al.* 1980 (tlc of fluorescamine conjugate)
Oddly, Doetsch & coworkers did not quantify or even evaluate this observation any further. More thoroughness might be expected for the sole report of this compound from a natural source.
Their seeming lack of interest is puzzling.

It is not thought to have any potential for abuse. It certainly could, fairly readily and simply have the β-hydroxyl group removed to produce mescaline but as all mescaline concentrations reported from the *Pereskias* were exceedingly low, it seems unlikely that this compound occurred in any substantially greater amount.

Mescaloxylic acid

N-[2-(3,4,5-Trimethoxyphenyl)ethyl]glycine, 9CI;
N-(3,4,5-Trimethoxyphenethyl)-glycine;
N-Carboxymethylmescaline.

CA Reg. No.: [7738-40-1] Southon & Buckingham 1989

$C_{13}H_{19}NO_5$
MW 269.297 Southon & Buckingham 1989 entry M-00133

mp 187-189º Kapadia & Hussain 1972

tlc, gc-ms, NMR, MS and synthesis:
Kapadia & Hussain 1972

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Hussain 1972 (trace) tlc, gc-ms, nmrs
[Sethi *et al.* 1973 reported their inability to observe ANY carboxylated derivatives in peyote]

Mescaloruvic acid

N-[2-(3,4,5-Trimethoxyphenyl)ethyl]alanine, 9CI;
N-(3,4,5-Trimethoxyphenethyl)-alanine;
N-(1-Carboxyethyl)mescaline.

CA Reg. No.: [7738-43-4]
Southon & Buckingham 1989: entry M-00132

$C_{14}H_{21}NO_5$
MW 283.324 Southon & Buckingham 1989

mp 235-236.5º (recrystallized from Ethanol)
Kapadia & Hussein 1972

tlc, gc-ms, NMR, MS and synthesis.
Kapadia & Hussein 1972

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Hussain 1972 (trace) tlc, gc-ms, nmr, ms
[Sethi *et al.* 1973 reported their inability to observe ANY carboxylated derivatives in peyote]

N,N-Dimethylmescaline
(Trichocereine)

3,4,5-Trimethoxy-N,N-dimethylphenethylamine; N,N-Dimethyl-3,4,5-trimethoxyphenethylamine.

CA Reg. No: [529-91-9]

$C_{13}H_{17}NO_3$
MW 235.282
Southon & Buckingham 1989: in #M-00128

Free base:
Colorless basic oil.
Soluble in water, alcohol, methanol, ether, chloroform and acetone.
Distills *in vacuo* without decomposition.
Reti & Castrillón 1951 (Salts were noted to crystallize well.)

Hydrochloride:
mp 205º (from absolute alcohol) Reti & Castrillón 1951
mp 205º Reti 1950
mp 203-205º (Crystallized from Alcohol-Ether; decolorized with Norit) Banholzer *et al.* 1952.
mp 207-208º (After recrystallization from Ethanol and dry ether. Crude crystals had mp 199-200º.) Benington *et al.* 1957

Picrate:
mp 169-170º Reti 1950
mp 170.5-171º Banholzer *et al.* 1952.
Fine yellow needles mp 171-172º (After repeated crystallizations from acetone) Reti & Castrillón 1951
mp. 172º Southon & Buckingham 1989 cited Reti *et al.* 1951 meaning Reti & Castrillón 1951

Picrolonate:
Needles from alcohol. mp 134.5-135.5º Banholzer *et al.* 1952
Canary yellow prisms mp 166º (After repeated crystallizations from alcohol-acetone) but if the melted salt is melted again it shows mp 175º Reti & Castrillón 1951

Methiodide: [This compound is the same as mescaline quaternary methiodide]
mp 224-225º Späth 1921a
Short colorless needles mp 226-228º recrystallized from water (soluble in hot and slightly in cold water) Reti & Castrillón 1951
Methiodide mp 225-226º
Reti 1950

Picrate of quaternary base mp 165.5º
Reti 1950

Chloroplatinate:
Orange crystals mp 184-185º (recrystallized from water). Reti & Castrillón 1951

Chloroaurate:
Burnt sienna crystals mp 136-139º with decomposition. Reti & Castrillón 1951
Ingestion of 0.55 grams (550 milligrams) of trichocereine had no effects in an auto bioassay performed by F.P. Ludueña [*See* Ludueña 1936 and Reti & Castrillón 1951

"*No noticeable hallucinogenic properties in humans.*" Southon & Buckingham 1989
Devoid of central activity in humans even at dosages of over 500 mg. (parenteral administration) Ludueña 1936. [Shulgin 1973]

[Dimethylation of the nitrogen also removes hallucinogenic activity from active amphetamine compounds (including DOM). If any activity remains it is of an amphetamine (stimulant) nature. Smythies *et al.* 1970]

According to Hardman *et al.* 1973:
N,N-Dimethylmescaline was found less potent than mescaline;
in man by Ludueña 1936 [Ed.: "*less potent than mescaline in man*" is true but misleading];
in rats by Smythies & Sykes 1966
[Hardman *et al.* 1973, declassified in 1969, was performed on behalf of the US Army in the 1950s.]

Weakly hypotensive. Southon & Buckingham 1989

Ludueña 1936 reported that the only effect noticed from oral administration of 9 mg/kg of the hydrochloride of N,N-dimethylmescaline (trichocereine) was a heaviness in the stomach.
In animal studies he found it slightly toxic ["…*est un alcaloïde peu toxique*"] with lethal dosages slightly higher than mescaline.
He determined that a dose of 240 mg/kg killed 5 out of 5 rats and a dosage of 220 mg/kg killed 2 out of 5. Dosages of 210 and 200 mg/kg produced death in 1 out of every 6 rats. All were given ip as the hydrochloride salt.
When administered ip the alkaloid produced agitation, trembling, slow and spastic movements and grooming. After the ensuing convulsive period this was followed by respiratory and cardiac arrest. Ludueña felt that respiratory paralysis was due to a curarizing action.
After giving one gram of the hydrochloride to a 4.3 kg cat (intraperitoneal), he observed excitation, trembling and convulsions which became very intense at 14 minutes, followed by depression and death at 22 minutes.
In dogs: arterial pressure was not affected by doses of 1 to 4 mg /kg while a slight hypotension was observed with dosages over 10 mg/kg.
The compound was found to antagonize the action of amytal and that prior administration of amytal (50 to 100 mg per kg/ ip) lowered the lethal dose in rats from 240 mg/kg to 30 mg/kg.
He described its action as resembling that of pellotine (peyotline) as had been described earlier by Clerc *et al.* 1935.

Tremorigenic and respiratory paralytic agent in rats. Southon & Buckingham 1989 [See above for details]

In rats the alkaloid causes excitation, tremor convulsions, paralysis of the extremities and respiratory paralysis,
In dogs large doses provoke a fall in blood pressure.
550 mg ingested by Ludueña had no apparent effects of a sensory nature. Reti 1950

According to Kapadia & Fayez 1970 it was shown to cause convulsions in cats but did not have any effects on decerebrated cats [Ed.: This elimination of higher brain functions may involve the actual removal of the cerebrum itself but usually it is performed by simply severing the brain stem above the red nucleus.]
They further noted that while it did not disrupt conditioned avoidance response it produced marked stimulation similar to amphetamine.
Curiously, it was also reported that increasing the dosage delayed the onset of effects.
Kapadia & Fayez cited Smythies & Sykes 1966
and Smythies & Sykes 1965

LD_{50} ~220 mg./kg (rat)
Southon & Buckingham 1989 cited Reti *et al.* 1951 meaning Reti & Castrillon.
LD_{50} in rat 220 mg/kg as hydrochloride
Reti 1950

Shows plant growth inhibiting activity. Southon & Buckingham 1989
We assume this is in reference to Mandava *et al.* 1981
While plant growth inhibition was observed, as well as outright phytotoxicity (based on visible necrosis), it should be stressed that they used the methiodide of Trichocereine (N-Methyltrichocereine iodide). Quaternary methiodides are often more toxic than their tertiary parents. We can locate no actual evaluation of Trichocereine itself but suspect it may show similar properties.

Synthesis:
Banholzer *et al.* 1952
Benington *et al.* 1957
Reti & Castrillón 1951

Found in *T. tersheckii* by Reti 1939 [This was his first formal report, he actually found it earlier.]
Reti 1950

So far, reported in decent amounts only from *Trichocereus terscheckii* but recently in much smaller amounts from a number of other species.

Cactaceae

Gymnocalycium achirasense Till & Schatzl
Štarha *et al.* 1998 (0.00025% [± 0.00002] by fresh wt.) gc, gc-ms

Gymnocalycium asterium Ito
Štarha *et al.* 1998 (0.0005% [± 0.00004] by fresh wt.) gc, gc-ms

Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (0.00008% [± 0.00002] by fresh wt.) gc, gc-ms

Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00073% [± 0.00005] by fresh wt.) gc, gc-ms

Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (0.00279% [± 0.0005] by fresh wt.) gc, gc-ms

Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00071% [± 0.00006] by fresh wt.) gc, gc-ms

Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00009% [± 0.00002] by fresh wt.) gc, gc-ms

Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00427% [± 0.00032] by fresh wt.) gc, gc-ms

Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (trace) gc, gc-ms

Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (trace) gc, gc-ms

Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Pelecyphora pseudopectinata Backeberg
Štarha *et al.* 1999a (Trace detected) gc, gc-ms

Trichocereus terscheckii
Herrero-Ducloux 1932 (Detected small quantities of a non-phenolic alkaloid but did not identify.)
Reti 1939 (Paper presenting his preliminary report.)
Reti 1950 and Reti 1953
Reti & Castrillon 1951 (Said to be the major alkaloid; 5:1 ratio with mescaline) (Isolation procedure: Identified by degradation, synthesis, mp and comparison with synthetic. Also found its methiodide was identical with mescaline methiodide.)
[Agurell 1969c apparently did not observe it.]

Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (Trace detected) gc, gc-ms

Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (2.89% [± 0.15] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Turbinicarpus schmiedickeanus (Böd.) Buxbaum
Štarha *et al.* 1999a (Trace detected) gc, gc-ms

Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (Trace detected) gc, gc-ms

Leguminosae

Acacia berlandieri Bentham
Clement *et al.* 1997 (Not detected in early Spring / 28.1 ppm in late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

Acacia rigidula Bentham
Clement *et al*. 1998 (0.2 ppm early Spring/ 13.8 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

[**3,4,5-Trimethoxy-phenethyl-N,N,N-trimethylammonium hydroxide** was reported in the Leguminous ***Acacia berlandieri*** Bentham by Clement *et al.* 1997 (Not detected in early Spring / 13.2 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) Identified by gc-ms; its identity was inferred from the presence of the corresponding styrene.] (This account is questionable.)

Peyonine

1-(β-3',4',5'-Trimethoxyphenethyl)-pyrrole-2-carboxylic acid; 1-[2-(3,4,5-Trimethoxyphenyl)ethyl]-1H-pyrrole-2-carboxylic acid, 9CI

WLN: T5NJ A2R CO1 DO1 EO1& BVQ
Hayward: 6R(CC@5NL(CVQ)=LL=L)R{R(OM)}3R
Usdin & Efron 1979 #914

CA Reg # [19717-25-0]
Southon & Buckingham 1989 #P-00158

$C_{16}H_{19}NO_5$
MW 305.32 Merck Ninth Entry # 6977.

Free base:
mp 131-133.5º Kapadia & Highet 1968

Ehrlichs reactive due to pyrrole

UV_{max} 261 nm (ε 10,000) Merck Ninth

Mass, IR and NMR spectra: Kapadia & Highet 1968

Synthesis: Kapadia & Highet 1968
Isolated from and identified in purified methanolic extract of peyote and synthesized by: Govind J. Kapadia & Narenda J. Shah in 1967 [& also by Kapadia & Highet 1968]

Structure elucidated by Kapadia & Highet 1967 (IR, UV, NMR, MS)

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Shah 1967
Kapadia & Highet 1968 (trace) tlc, ms, ir, uv, nmr, synthesis
Southon & Buckingham says it was reported from other *Lophophora* spp (?) but fail to include supportive references.

Mescaline succinamide

1-[2-(3,4,5-Trimethoxyphenyl)ethyl]-2,5-pyrrolidine-dione; N-(3,4,5-Trimethoxyphenyl)succinamide.

$C_{15}H_{19}NO_5$
MW 293.319
Southon & Buckingham 1989 entry M-00131

mp 125-126° Anderson 1980 & Southon & Buckingham 1989

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Fales 1968 glc-ms

Mescaline malimide

1-[2-(3,4,5-Trimethoxyphenyl)ethyl]-3-hydroxy-2,5-pyrrolidine-dione; 3-Hydroxy-N-(3,4,5-Trimethoxyphenyl) succinamide; N-(3,4,5-Trimethoxyphenethyl)malimide.

$C_{15}H_{19}NO_6$
MW 309.318
Southon & Buckingham 1989 in entry M-00131

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Fales 1968 glc-ms

Mescaline maleimide

1-[2-(3,4,5-Trimethoxyphenyl)ethyl]-3,4-didehydro-2,5-pyrrolidine-dione; N-(3,4,5-Trimethoxyphenyl)-3,4-didehydrosuccinamide; 3,4-Didehydro-N-(3,4,5-trimethoxyphenyl)-succinamide.

$C_{15}H_{17}NO_5$
MW 291.303
Southon & Buckingham 1989 in entry M-00131

Said to possibly be an artifact by Southon & Buckingham 1989. Kapadia & Fales noted this as a possibility but also noted that 1) another maleimide (Showdomycin) had been isolated & reported in the literature, 2) this compound was identified in a NEUTRAL alkaloid fraction, and 3) they failed in their attempts to deliberately create it as an artifact, by treating the raw plant extract with diazomethane.

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Fales 1968 glc-ms

Mescaline citrimide

$C_{17}H_{21}NO_8$
MW 367.355
Southon & Buckingham 1989 entry M-00129

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1970a
See also Kapadia & Fayez 1970b

Mescaline isocitrimide lactone

$C_{17}H_{19}NO_7$
MW 349.340
Southon & Buckingham 1989 entry M-00130

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1970a
See also Kapadia & Fayez 1970b

3,4,5-trimethoxyphenylalanine

AKA 3,4,5-trimethoxyphenethylglycine

[This is **NOT** equivalent to N-(3,4,5-Trimethoxyphenethyl)-glycine (Mescaloxylic acid) or to N-(3,4,5-Trimethoxyphenethyl)-alanine (Mescaloruvic acid)]

This has been **erroneously** reported as occurring in peyote.
It was synthesized by Sethi and coworkers in order to use it as a reference standard.
They were unable to observe ANY carboxylated derivatives in peyote.
[However, please see Mescaloxylic acid and Mescaloruvic acid.]

See Sethi *et al.* 1973

Peyoglunal

5-Hydroxymethyl-1-[2-(3,4,5-trimethoxyphenyl)ethyl]-2-pyrrolecarboxaldehyde

$C_{17}H_{21}NO_5$

MW 319.357 Southon & Buckingham 1989 #P-00156

Trace alkaloid identified in *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1970a

Peyoglutam

$C_{14}H_{17}NO_4$

MW 263.1153 Menachery *et al.* 1986 #96
MW 263.293 Southon & Buckingham 1989 #P-00157

mp 217-219º Kapadia & Fales 1968

IR and MS Kapadia & Fales 1968

Synthesis Kapadia & Fales 1968

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia & Fales 1968 glc-ms

Mescalotam

$C_{15}H_{19}NO_4$

MW 277.1309 Menachery *et al.* 1986 #97
MW 277.319 Southon & Buckingham 1989 #P-00157

IR and MS Kapadia & Fales 1968

Synthesis Kapadia & Fales 1968.

Trace alkaloid reported from *Lophophora williamsii*
Kapadia & Fales 1968 glc-ms

Lophophora williamsii
(Oz)
Photo by Zariat

Trichocereus macrogonus
(HBG)

2-Chloro-mescaline

2-Chloro-3,4,5-trimethoxyphenethylamine

Hydrochloride:
mp 162-164º (Recrystallized 3X from absolute Ethanol-Ether)
mp 169-170º (synthesized)
Pardanani *et al.* 1977

Possible hallucinogen.

UV, NMR and MS Pardanani *et al.* 1977

Recovered from *Trichocereus peruvianus* Britton & Rose Pardanani *et al.* 1977 (0.016% by dry weight) Thought to be **an extraction artifact** arising from the use of chloroform (i.e. does not exist in plant)

This compound may be (and should be) active but we can locate no verifiable pharmacological assessment.

Street rumors report it (and/or possibly the 2,6-Dichloro-analog) to be a powerful hallucinogen (much stronger than mescaline and claimed to be orally active when bioassayed at the 20 mg level) but more work is needed to confirm this.

2-Chloromescaline was prepared by treating 150 mg of mescaline in 100 ml of Chloroform with 1 ml of a 5% solution of Chlorine in Chloroform and allowing it to react for 2 hours at room temperature. This produced a mixture of 2-Chloromescaline (minor) and 2,6-Dichloromescaline (major product). Longer reaction times produced only the latter.

(The 5% chlorine solution was prepared from chlorine gas generated from potassium permanganate and HCl.)

2,6-Dichloromescaline

This is a synthetic compound that arose during the preparation of 2-Chloromescaline. It apparently lacks any evaluation by professional workers.

mp 218-222 (shiny plates)/ mp 225-227 (after recrystallization from absolute Ethanol-Ether)

UV, NMR IR, CI-MS & synthesis from mescaline

See Pardanani et al. 1977

Chapter Five

Isoquinolines reported from the Cactaceae

Coryphantha macromeris var. *runyoni* (Cactus Data)

(We have included some reported occurrences in other plants but this is in no way meant to be all inclusive. The vast majority of the isoquinolines found in nature are omitted. A number of books and many reviews exist which specifically deal with the isoquinolines. It is an enormous subject in phytochemistry and pharmacology.

For other comprehensive reviews of cactus chemistry, see Mata & McLaughlin 1982, Menachery *et al.* 1986 & Lundström 1983 & Trout 2013d (Menachery *et al.* & Lundström include a review of physical data and distribution; Trout summarizes the reports *by species*.)

No naturally occurring isoquinolines are yet proven & reported to be hallucinogenic, although many are pharmacologically active in a wide variety of ways.

A possible exception MAY be pellotine. Its primary action is as a sedative but in human trials using dosages as high as 300 mg some visual hallucinations were reported in ONE paper. This has neither been confirmed nor investigated further. Additionally, there have been several reports of hallucinogenic activity due to ingestion of *L. diffusa* which contains this as its main alkaloid. These stand at odds with ALL other evaluations of the pharmacological action of this alkaloid, as well as every other report on the ingestion of said cactus species. Most have dismissed the positive reports as using improperly identified specimens but we believe further study is called for. The only report of activity for peyotine was also the only report that evaluated dosages up to 300 mg. *See* under **Pellotine**.

Gymnocalycium stellatum

Longimammatine

1,2,3,4-Tetrahydro-6-methoxyisoquinoline, 9CI; 6-Methoxy-1,2,3,4-tetrahydroisoquinoline; 6-MeO-THIQ.

CA Reg. #: [42923-77-3]
Southon & Buckingham 1989: *See* in Entry T-00109.
CA Reg. #: [57196-62-0]
Ranieri & McLaughlin 1976

$C_{10}H_{13}NO$
MW 163.219 Southon & Buckingham 1989

HCl:
mp 244-245.5º (Isolated) Colorless plate-like crystals from Ethanol-Ether (same mp for synthetic)
Ranieri & McLaughlin 1976
mp 238-239º [Lundström 1983 cited Schenker *et al.* 1971 J Heterocyclic Chem 8: 665]

^{13}C-NMR: Mata *et al.* 1983

UV, NMR, MS, IR: Ranieri & McLaughlin 1976

Synthesis: Ranieri & McLaughlin 1976

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.60 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1976

Color reactions with tlc visualization reagents:
Fluorescamine: No reaction
Overspraying with Dansyl chloride: Yellow fluorescent spot.
Tetrazotized Benzidine (alone): White
Ranieri & McLaughlin 1976

Reported from:
Dolichothele longimamma (DeCandolle) Britton & Rose
Ranieri & McLaughlin 1976 (0.0028% dry wt.) tlc, mp, ir
[Reported in Ranieri & McLaughlin 1975b]
Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 appears in the literature **erroneously**. This is listed in their abstract and in their discussion, but both are typographical **errors**. They intended to indicate *longimammamine*.

Weberidine

1,2,3,4-Tetrahydro-7-methoxyisoquinoline, 9CI; 7-Methoxy-1,2,3,4-tetrahydroisoquinoline; 7-MeO-THIQ

CA Reg. #: [43207-78-9] Southon & Buckingham 1989: *See* in Entry T-00110.

$C_{10}H_{13}NO$
MW 163.219 Southon & Buckingham 1989
MW 163 (MIKES) Roush *et al*. 1985

Free base is soluble in Chloroform.
(Eluted from silica gel with Benzene-Chloroform; 3:17 [along with other bases)
Mata & McLaughlin 1980c

HCl:
mp 228º (natural); 233º (synthetic) Mata & McLaughlin 1980c
mp 231-232º Southon & Buckingham 1989 [ref Schenker *et al.* 1971 J Heterocyclic Chem 8: 665]

uv, ir, nmr, ci-ms, ei-ms: Mata & McLaughlin 1980c
^{13}C-NMR: Mata *et al.* 1983

Synthesis: Mata & McLaughlin 1980c (citing procedure of Bobbitt *et al.* 1965)

Color reactions:
Fluorescamine gave secondary amine reaction.
Mata & McLaughlin 1980c

Weberidine has been reported from:
Pachycereus pecten-aboriginum (DC) Britton & Rose
&
Pachycereus pringlei (S.Wats) Br. & R
Unger *et al.* 1980 reported its presence in the above two species but we have to question their conclusion as it is in total conflict with the rest of the literature. Despite its incredible sensitivity & immense value in phytochemical screenings, MIKES has serious problems in the identification of numerous alkaloids.
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.00024% dry wt. as HCl; 5 mg from 2.1 kg) uv, ir, nmr
Roush *et al*. 1985 (No quantification) ms-ms, tlc
Mata *et al.* 1980 in the literature meant Mata & McLaughlin 1980c

Longimammosine

1,2,3,4-Tetrahydro-6-hydroxy-2-methylisoquinoline;
6-Hydroxy-2-methyl-1,2,3,4-tetrahydroisoquinoline;
6-Hydroxy-N-methyl-THIQ; 6-OH-2-Me-THIQ.

CA Reg. #: [14097-39-3]
Southon & Buckingham 1989: *See* in Entry T-00109.

$C_{10}H_{13}NO$
MW 163.219 Southon & Buckingham 1989

Free base:
mp 180-182º Crystals from Ethanol.
Soluble in Chloroform.
Ranieri & McLaughlin 1976

HCl:
CA Reg. #: [57196-60-8]
mp 234-235º (Isolated: Colorless crystals from Ethanol) /
mp 234-234.5º (Synthetic: Crystals from Methanol)
Ranieri & McLaughlin 1976
mp 243-244º Schenker *et al.* 1971

UV, NMR, MS, IR: Ranieri & McLaughlin 1976

Synthesis: Ranieri & McLaughlin 1976

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.67 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1976

Color reactions with tlc visualization reagents:
Fluorescamine: No reaction
Overspraying with Dansyl chloride: Yellow fluorescent spot.
Overspraying again with Iodoplatinate: Blue
Tetrazotized Benzidine (alone): Yellow-brown
Ranieri & McLaughlin 1976

Reported from:
Dolichothele longimamma (DeCandolle) Britton & Rose
Ranieri & McLaughlin 1976 (0.0019% dry wt.) mp, uv, ir, ms, nmr
[Reported in Ranieri & McLaughlin 1975b]

Longimammidine

1,2,3,4-Tetrahydro-8-hydroxy-2-methylisoquinoline, 9CI;
8-Hydroxy-2-methyl-THIQ; 6-Hydroxy-N-methyl-THIQ

CA Reg. #: [14788-32-0]
Southon & Buckingham 1989: *See* in Entry T-00111.

$C_{10}H_{13}NO$
MW 163.219 Southon & Buckingham 1989

Free base:
mp 171-174º (Brown crystals from Ethanol) Ranieri & McLaughlin 1976
175.5-176º Schenker *et al.* 1971
mp 177º (173-175º) Southon & Buckingham 1989
Soluble in Chloroform.
Insoluble in Petroleum ether
Ranieri & McLaughlin 1976

HCl:
CA Reg. #: [34222-77-0]
mp 243-244º Schenker *et al.* 1971
mp 246-247º (isolated: crystals from Ethanol-Ether)/
mp 247-248.5º (synthetic: crystals from Methanol)
Ranieri & McLaughlin 1976

UV, IR, MS, NMR: Ranieri & McLaughlin 1976

Synthesis: Ranieri & McLaughlin 1976

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Rf 0.76 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1976

Color reactions with tlc visualization reagents:
Fluorescamine: No reaction
Overspraying with Dansyl chloride: Yellow fluorescent spot.
Overspraying again with Iodoplatinate: Purple
Tetrazotized Benzidine (alone): Orange
Ranieri & McLaughlin 1976

Reported from:
Dolichothele longimamma (DeCandolle) Britton & Rose
Ranieri & McLaughlin 1976 (0.0019% dry wt.) mp, tlc, ir, nmr, ms
[Reported in Ranieri & McLaughlin 1975b]

?-Methoxy-1-methyl-THIQ

Isomeric identity unclear.

1,2,3,4-Tetrahydro-*ar*-methoxy-1-methylisoquinoline;
?-Mono-Methoxy-1-Methyl-THIQ
Roush *et al.* 1985 (MIKES does not distinguish between isomeric forms with regards to aromatic substitution)

$C_{11}H_{15}NO$
MW 177.246 Southon & Buckingham 1989: Entry T-00127.
MW 177 (MIKES) Roush *et al*. 1985

Reported from:
Pachycereus weberi
Roush *et al*. 1985 (ms-ms, MIKES)

(–) Longimammamine

1,2,3,4-Tetrahydro-2-methyl-4,8-isoquinolinediol, 9CI;
1,2,3,4-Tetrahydro-4,8-dihydroxy-2-methylisoquinoline;
4,8-Dihydroxy-2-methyl-1,2,3,4-tetrahydroisoquinoline;
4,8-Dihydroxy-N-methyl-THIQ

CA Reg. No.: [57236-57-4]
Southon & Buckingham 1989; Entry T-00088

$C_{10}H_{12}NO_2$
MW 179.218 Southon & Buckingham 1989

HCl:
CA Reg. #: [57286-92-7]
mp 224-228º (Isolated: Colorless crystals from Ethanol-Ether)/ mp 235-236.5º (Synthetic: Colorless crystals from Water) Ranieri & McLaughlin 1976

$[a]^{25}_{D}$ –60º Ranieri & McLaughlin 1976

UV, NMR, MS, IR: Ranieri & McLaughlin 1976

Synthesis: Ranieri & McLaughlin 1976

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):.
Using Ether-Methanol-58% NH_4OH (17:2:1)
RF 0.53 Ranieri & McLaughlin 1976
RF 0.61 Ranieri & McLaughlin 1977

Color reactions with tlc visualization reagents:
Fluorescamine: No reaction
Overspraying with Dansyl chloride: Yellow fluorescent spot.
Overspraying again with Iodoplatinate: Blue-green
Tetrazotized Benzidine (alone): Brown
Ranieri & McLaughlin 1976

Reported from:
Dolichothele longimamma (DeCandolle) Britton & Rose
Ranieri & McLaughlin 1976 (0.0008% dry wt.) mp, tlc, ir
[Reported in Ranieri & McLaughlin 1975b]

Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 (trace) tlc [This is listed in their experimental account as "*longimammine*"; this is a typographical **error**. In both their abstract and in their discussion, it is given as "*longimammatine*"; this is also a typo. Only their structural diagram & chemical name correctly indicates *longimammamine*. In some regards it is nice to know that the choice of these easily confused names has caused confusion for the people introducing these names.]
[Ranieri *et al*. 1977 in the literature intended to indicate Ranieri & McLaughlin 1977]

Arizonine

1,2,3,4-Tetrahydro-7-methoxy-1-methyl-8-isoquinolinol, 9CI;
1,2,3,4-Tetrahydro-8-hydroxy-7-methoxy-1-methylisoquinoline; 1-Hydroxy-8-methoxy-2-methyl-1,2,3,4-tetrahydroisoquinoline; 1-OH-8-MeO-2-Me-THIQ.

CA Reg. #: [60508-83-0] Southon & Buckingham 1989: Entry T-00115.

$C_{11}H_{15}NO_2$
MW 193.245 Southon & Buckingham 1989

Base is optically inactive.
Soluble in Chloroform and Ether.
Bruhn & Lundström 1976b

Salicylate:
mp 207-209º (natural); mp 208-210º (synthetic) (both recrystallized from Methanol-Ether) Bruhn & Lundström 1976b

ms, gc: Bruhn & Lundström 1976b

Isolation: Bruhn & Lundström 1976b

Synthesis: Bruhn & Lundström 1976b

Reported color reactions:
Violet-blue with Gibbs' reagent (on silica gel).
Bruhn & Lundström 1976b and
Strömbom & Bruhn 1978

Eluted from Alumina with Water-Ethanol (1:1) Bruhn & Lundström 1976b

Preparative tlc on silica gel:
Rf ~0.2 in Acetone-Chloroform-conc. Ammonia (50:50:2.5)
Rf ~0.6 in Chloroform-Ethanol-conc. Ammonia (50:50:2.5)
Strömbom & Bruhn 1978
tlc on silica gel G:
Rf 0.42 in Chloroform-Ethanol-Diethylamine (85:10:5)
Bruhn & Lundström 1976b

Reported from:
Carnegiea gigantea (Engelmann) Britton & Rose
Bruhn & Lundström 1976b (0.007% fresh wt; 1.088 grams of free base isolated from 15 kilos of fresh material) tlc, gc, nmr, ms.

[See also Agurell *et al.* 1971a]
[Concerning our math-work for **Bruhn & Lundström 1976b**:
15 kg of fresh cactus yielded 32 grams of alkaloids. 80% was nonphenolic and 20% was phenolic. When purifying these fractions they only used 1 gram of the nonphenolic and 0.5 grams of the phenolic fractions. The amounts listed in their account is what was obtained from these aliquots rather than totals.
For all compounds except dopamine the yields were calculated, by kt, as if they had used all of their product and then recalculated them in terms of their free bases (Alkaloids were obtained as the hydrochloride salts in all cases except for Arizonine)]
Pachycereus pecten-aboriginum (DC) Britton & Rose
Strömbom & Bruhn 1978 (trace) tlc, gc, hplc, gc-ms

Heliamine

1,2,3,4-Tetrahydro-6,7-dimethoxyisoquinoline, 9CI;
6,7-Dimethoxy-1,2,3,4-tetrahydroisoquinoline;
6,7-Dimethoxy-THIQ

CA Reg. #: [1745-07-9] Southon & Buckingham 1989:
Entry T-00094.
NX5015000

$C_{11}H_{15}NO_2$
MW 193.245 Southon & Buckingham 1989
MW 193 (MIKES) Roush *et al*. 1985

Free base:
mp 84-85º Southon & Buckingham 1989
Free base is soluble in Chloroform and in Ethanol.
Mata & McLaughlin 1980c&d
(Eluted from silica gel with Benzene-Chloroform; 3:17 [along with other bases)
Mata & McLaughlin 1980c
Eluted from AlO_3 (Merck IV) with Chloroform-Benzene (2:1). Strömbom & Bruhn 1978

HCl:
mp 248º (from Ethanol-Ether) Mata & McLaughlin 1980d
mp 248º (natural); 252º (synthetic) Mata & McLaughlin 1980c
mp 250º (natural); mp 248-251º (synthetic) Strömbom & Bruhn 1978
mp 248º, mp 252º [Lundström 1983 cited Shamma 1972]
mp 253º Buck 1934
mp 255º (Crystals from Ethanol-Ether) Mata & McLaughlin 1980b
Soluble in Chloroform and in Ethanol
Precipitated from Ethanol by the addition of Ether.
Mata & McLaughlin 1980b & 1980d

Picrate: mp 223-225º (Crystals from Ethanol) Southon & Buckingham 1989

Oxalate: mp 214-215º Southon & Buckingham 1989

UV, IR, NMR, CI-MS, EI-MS: Mata & McLaughlin 1980c

NMR & MS: Strömbom & Bruhn 1978

^{13}C-NMR: Mata *et al.* 1983

Isolation: Mata & McLaughlin 1980b & 1980c
First isolation and name assignment was by Strömbom & Bruhn 1978

Reagents:
Visualized with Fluorescamine in Mata & McLaughlin 1980b & 1980c

Synthesis:
Mata & McLaughlin 1980c (citing proceedure of Brossi *et al.* 1964)
Strömbom & Bruhn 1978 (citing proceedure of Buck 1934)

Said to be an inhibitor (by 60-79%) of rat sarcoma 45.
Little or no activity against Ehrlich ascites carcinoma or Walker carcinosarcoma.
Strömbom & Bruhn 1978 cited Chachoyan *et al.* 1972
[Interestingly *Pachycereus pecten-aboriginum* is commonly employed in folk cancer remedies]

Reported from:
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Mata & McLaughlin 1980b (0.75% dry wt.; as HCl) tlc, ir, ei-ms
Pummangura & McLaughlin 1981a (1.02% by dry wt.; as HCl)
Ferrigni *et al.* 1984 (Identified by ms-ms; but not mentioned in experimental account of isolations)
Carnegiea gigantea (Engelmann) Britton & Rose
(%?) Pummangura *et al.* 1982b
Pachycereus pecten-aboriginum (DC) Britton & Rose
Strömbom & Bruhn 1978 (0.0005% by fresh wt; Minor alkaloid: 22 mg from 4.3 kg fresh:as HCl) tlc, gc, hplc, ir, nmr, ms
Pachycereus pringlei (S.Wats) Br. & R
Mata & McLaughlin 1980d (0.017% by dry wt as HCl; 5 mg from 30 gm) tlc, ms, ir
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.0155% & also 0.05%: both dry wt. [as HCl]) ir, nmr, ms;
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Dehydroheliamine

3,4-Dihydro-6,7-dimethoxyisoquinoline, 9CI; 6,7-Dimethoxy-3,4-dihydroisoquinoline

CA Reg. No.: [3382-18-1]
Southon & Buckingham 1989; Entry D-00246

$C_{11}H_{13}NO_2$
MW 191.229 Southon & Buckingham 1989
MW 191 (MIKES) Roush *et al*. 1985

Free base (synthetic obtained as brown oil)
Fluoresces light blue under UV (in tlc)
Ordaz *et al.* 1983

Soluble in Benzene and Choloroform.
Insoluble in alkaline solutions.
Ordaz *et al.* 1983

HCl:
mp 194-196º (natural: crystals from Ethanol-Ether)
mp 195-196º (synthetic: crystals from Ethanol-Ether)
(mmp was 195º) Ordaz *et al.* 1983

Isolation (via liquid chromatography) Ordaz *et al.* 1983

NMR, CI-MS, EI-MS: Ordaz *et al.* 1983

Synthesis: Ordaz *et al.* 1983.

Reported from:
Carnegiea gigantea (Engelmann) Britton & Rose
Ordaz *et al.* 1983 (0.0008% yield by dry weight; as HCl) tlc, mp, mmp, ms, ei-ms, ci-ms, nmr
Pachycereus weberi (Coulter) Backeberg
Roush *et al*. 1985 (no quantification) ms-ms, tlc
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (0.07% by dry wt. was isolated) ms-ms

Backebergine

6,7-Dimethoxy-isoquinoline

$C_{11}H_{11}NO_2$
MW 189.213 (Calculated from value given for dehydroheliamine in Southon & Buckingham 1989)
MW 189 (MIKES) Roush *et al*. 1985

Reported from:
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (0.0126% by dry wt. isolated) ms-ms
Pachycereus weberi (Coulter) Backeberg
Roush *et al*. 1985 (ms-ms, tlc)

Lemaireocereine

1,2,3,4-Tetrahydro-7,8-dimethoxyisoquinoline, 9CI; 7,8-Dimethoxy-THIQ

CA Reg. #: [52759-08-7] Southon & Buckingham 1989: Entry T-00095.

$C_{11}H_{15}NO_2$
MW 193.245 Southon & Buckingham 1989
MW 193 (MIKES) Roush *et al*. 1985

Free base is soluble in Chloroform.
(Eluted from silica gel with Benzene-Chloroform; 3:17 [along with other bases)
Mata & McLaughlin 1980c

HCl:
mp 180º, 185º Mata & McLaughlin 1980c
mp 190º (Crystals from Ethanol-Ether) Pummangura & McLaughlin 1981a

Reported color reactions:
Fluorescamine- Secondary amine reaction
Mata & McLaughlin 1980c
Mata & McLaughlin 1980d also used fluorescamine to visualize.

UV, IR, NMR, CI-MS, EI-MS: Mata & McLaughlin 1980c

^{13}C-NMR: Mata *et al.* 1983

Isolations:
Mata & McLaughlin 1980c
Pummangura & McLaughlin 1981a

Synthesis: Mata & McLaughlin 1980c (citing procedure from Bobbitt *et al.* 1965)

Reported from:
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Pummangura & McLaughlin 1981a (0.034% by dry wt. as HCl) mp, mmp, ir, ei-ms
[Also by Pummangura *et al.* 1981b]
(Not identified in ms-ms by Ferrigni *et al.* 1984)
Pachycereus pringlei (S.Wats) Br. & R
Mata & McLaughlin 1980d (traces) tlc
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.003% dry wt as HCl) ir, nmr, ms
Roush *et al.* 1985 (no quantification) ms-ms, tlc
[Pummangura & McLaughlin 1981a used this species as the source of their reference material for Lemaireocereine]

The presence of **N-Methyl-lemaireocereine** in *Backebergia militaris* (Audot) Bravo ex Sanchez Mejorada was suggested by Ferrigni *et al.* 1984. It has been neither proven nor dismissed

Dehydrolemaireocereine

3,4-Dihydro-7,8-dimethoxyisoquinoline; 7,8-Dimethoxy-dihydroisoquinoline.

$C_{11}H_{13}NO_2$
MW 191.229 (Calculated from value given for Lemaireocereine in Southon & Buckingham 1989)
MW 191 (MIKES) Roush *et al*. 1985

Reported from:
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (0.006% by dry wt. was isolated) ms-ms
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

7,8-Dimethoxy-3,4-dihydroxyisoquinoline has appeared listed as occurring in *Backebergia militaris* (Audot) Bravo ex Sanchez Mejorada This is a typographical **error** intending 7,8-Dimethoxy-3,4-dihydroisoquinoline (i.e. Dehydrolemaireocereine) Ferrigni *et al.* 1984 was the cited reference

Isobackebergine

7,8-Dimethoxy-isoquinoline

$C_{11}H_{11}NO_2$
MW 189.213 (Calculated from value given for Lemaireocereine in Southon & Buckingham 1989)
MW 189 (MIKES) Roush *et al*. 1985

Reported from:
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (0.022% by dry wt. isolated) ms-ms
Pachycereus weberi (Coulter) Backeberg
Roush *et al*. 1985 (no quantification) ms-ms, tlc

Uberine

1,2,3,4-Tetrahydro-5-methoxy-2-methyl-7-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-7-hydroxy-5-methoxy-2-methyl-isoquinoline; 5-Methoxy-7-hydroxy-2-methyl-1,2,3,4-tetrahydroisoquinoline; 7-OH-5-MeO-2-Me-THIQ; 7-OH-5-MeO-N-Me-THIQ

CA Reg. #: [63596-58-7] Southon & Buckingham 1989: Entry T-00113.

$C_{11}H_{15}NO_2$
MW 175.253

HCl mp 263-267º dec. Ranieri & McLaughlin 1977

NMR, MS, IR: Ranieri & McLaughlin 1977

Preparative tlc on 1 mm thick Silica gel PF-254 (Brinkman):
Rf 0.65 in Ether-Methanol-58% NH_4OH (17:2:1)
Ranieri & McLaughlin 1977

Uberine haas been reported from:
Dolichothele uberiformis (Zuccarini) Britton & Rose
Ranieri & McLaughlin 1977 (0.002% dry wt) mp, tlc, nmr, ms, ir
[Also identified in Kruger *et al.* 1977

Corypalline

1,2,3,4-Tetrahydro-6-methoxy-N-methyl-7-isoquinolinol; 7-Hydroxy-6-methoxy-2-methyl-THIQ

$C_{11}H_{15}NO_2$

Colorless needles from chloroform: mp 166-167º
Wu et al. 1980
mp 167-168º
Chen et al. 1974
mp 168º
Base soluble in ether, chloroform. Wu et al. 1980

Picrate 178º

tlc:
Rf 0.3 in benzene-acetone-ammonium hydroxide solution (20:20:1) on silica gel G. Wu *et al.* 1980

Reported from:
Corydalis aurea
Manske 1937
Corydalis ophiocarpa
Tani *et al.* 1978
Corydalis pallida
Manske 1937
Doryphora sassafras
Chen *et al*. 1974
Thalictrum rugosum
Wu *et al.* 1980 (minor base) mp, mmp, tlc, ir, nmr, ms
Detailless entries from Lundström 1983
Cactaceae
Islaya minor Backeberg (T.)
Doetsch *et al.* 1980 (detected) tlc

Salsolinol

1,2,3,4-Tetrahydro-1-methylisoquinoline-6,7-diol; 1,2,3,4-Tetrahydro-1-methyl-6,7-isoquinolinediol, 9CI; 1,2,3,4-Tetrahydro-6,7-dihydroxy-1-methylisoquinoline; 1-Methyl-1,2,3,4-tetrahydroisoquinoline-6,7-diol; 1-Methyl-6,7-dihydroxy-1,2,3,4-tetrahydroisoquinoline; 6,7-Dihydroxy-1-methyl-1,2,3,4-tetrahydroisoquinoline; 6,7-diOH-1-Me-THIQ.

CA Reg. #: [525-72-4] Southon & Buckingham 1989: Entry T-00089.

$C_{10}H_{13}NO_2$

MW 179.3

Hydrobromide:
$C_{10}H_{13}NO_2 \cdot HBr$
MW 260.14
White to brown powder.
mp 186-187
Water soluble: 5 mg/ mL (warmed; clear solution)
Sigma MSDS & catalog (Product number SML0398)

Hydrochloride:
MW 215.6
Tiny, powdery aggregates from Methanol-Ether. Mp 230º Hjort *et al.* 1942

Picrate mp 92º Schöpf & Bayerle 1934

Synthesis:
Schöpf & Bayerle 1934
Prepared by demethylating Salsolidine. Hjort *et al.* 1942

Assays:
Bigdeli & Collins 1975
Dean *et al.* 1980
Nesterick & Rahwan 1979
Riggins & Kissinger 1977
Sjöquist & Magnusen 1980

Interference with calcium binding to synaptic plasma membranes reported in Ross 1978.
Deitrich & Erwin 1980 commented that Ross 1978 found it to be active at a concentration of 10 nM
Reported to inhibit tyrosine hydroxylase *in vitro* by Collins & Weiner 1977 but this could not be demonstrated *in vivo* by Weiner & Collins 1978. (The latter also determined it to have no action on dopamine decarboxylase)
Shown to have minor MAOI properties (competitive with serotonin) by:
Yamanaka 1971 & Collins *et al.* 1973

Comparison of levels in normal humans and in alcoholics:
Sandler *et al.* 1982 in Bloom
Levels lower in brains of sober alcoholics than in intoxicated alcoholics or in sober nonalcoholic controls:
Sjöquist *et al.* 1982 in Bloom

Found to decrease activity in mice specifically bred for alcohol sensitivity more than it did in mice bred for ethanol insensitivity. Deitrich & Erwin 1980 cited Church *et al.* 1976 & 1977. [Not confirmed by Blum *et al.* 1978.]
Reported to be excreted in higher level in the urine of alcoholics than in nonalcoholics by Collins 1979. Also studied in Collins 1977 & Collins & Bigdeli 1975.
Presence in the brains of ethanol dependent mice was unable to be confirmed by Rahwan & O'Neill 1976 & O'Neill & Rahwan 1977
Reviews of the history of the controversy involving ethanol, aldehyde and salsolinol:
Correa *et al*. 2005
Hipólito *et al.* 2007 & 2013
Quertemont *et al*. 2005

Lucchi *et al.* 1981 reported salsolinol formation to interact with opiate receptors and proposed it causes down-regulation. Blum 1980 and Reggiani *et al.* 1980 also noted a potential involvement of the opiate system with ethanol addiction.
Tampier *et al*. 1977 and Jeffcoate *et al.* 1979 noted that ethanol's effects were partially reversed by naloxone.

If injected directly into the brain, it induces sleep. Deitrich & Erwin 1980 cited Church *et al.* 1977

MAO-A inhibition (R entantiomer with Ki = 31 μM)
Bembenek *et al.* 1990

Found to be impotent as a beta-agonist by:
Feller *et al.* 1975 &
Lee *et al.* 1974 &
Sheppard *et al.* 1976
Relatively weak alpha-antagonist of norepinephrine on vas deferens (by Baird-Lambert & Cohen 1975) and on aorta (by Hamilton & Hirst 1976)
Blocks 5-HT stimulation of the fundus and the uterus & also blocks the effects of both oxytocin and vasopressin on the uterus. (Hamilton & Hirst 1977)

Review of pharmacological activity: Deitrich & Erwin 1980

Nonfatal doses raised the blood pressure in anesthetized dogs for a few minutes (2 minutes at 0.86 mg/kg & 8 minutes at 6.88 mg/ kg)
It caused a sensitization to the effects of epinephrine but did not affect the action of atropine.
There was no effect on pulse rate or respiration
Hjort *et al.* 1942

Toxicity:
LD_{50} 417 mg/ kg in albino mice. (Route of administration was not mentioned.)
Hjort *et al.* 1942

Moderately exophthalmous (i.e. causing the eyeballs to protrude) & produced moderate salivation in albino mice at fatal levels.
"*Brief convulsive hops and respiratory distress preceded death*".
Hjort *et al.* 1942

Folin's reagent was used for visualization in Tank *et al.* 1976

Reported from:
Musa paradisiaca (banana fruit)
<0.1 µg/g wet wt. in both the pulp and the peel when ripe, rising to 40 (±1.5) µg/g in the pulp and 260 (±4) µg/g in the peel when ripened to the point of blackness.
Riggin *et al*. 1976
Theobroma cacao (as Cocoa powder)
40 +- 4 microgram/g (one sample) Riggin & Kisinger 1976

Not reported from any cacti.

Occurrence in human brain tissue:
Sjöquist *et al.* 1982 in Bloom
Presence in human urine:
Collins *et al.* 1979
Sjöquist *et al.* 1981a
Presence in human cerebrospinal fluid:
Sjöquist *et al.* 1981a&b
Occurrence in rat brain tissue:
Sjöquist *et al.* 1981c
Sjöquist & Magnusen 1980

Some reported occurrences in humans are suspected to be dietary in origin rather than endogenous.

Salsolinol was reported isolated at 3X the concentration in the urine from Parkinson's patients showing visual hallucinations than in those lacking visual hallucinations. (All were being given L-dopa) Moser *et al*. 1996

Salsoline

1,2,3,4-Tetrahydro-7-methoxy-1-methyl-6-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-6-hydroxy-7-methoxy-1-methyl-isoquinoline; 6-Hydroxy-7-methoxy-1-methyl-1,2,3,4-tetrahydroisoquinoline; 7-Methyl-salsolinol; Salsolinol-O^7-methyl ether.

$C_{11}H_{15}NO_2$

MW 193.24 Merck Index 9th Ed. Entry #8099
MW 193.245 Southon & Buckingham 1989: Entry T-00112.

C 68.37%, H 7.82%, N 7.25%, O 16.56%

Free base:
mp 218-221º (Given in Lundström 1983)
mp 221º (crystals from alcohol) Merck mp 224-226º Southon & Buckingham 1989
$[a]20_D$ + 34.5º (c=1 in 0.1N HCl) Soluble in hot alcohol, chloroform, dilute NaOH
Slightly soluble in benzene or water
Almost insoluble in ether or petroleum ether
Merck

HCl:
mp 147-149º Kovács & Fodor 1951
mp 174-175º Merck
mp 147-149º (Crystals from Ethanol-Ether)
Southon & Buckingham 1989

$[a]_D$ + 31.0º (c=1 in 0.1N HCl)
Uvmax (IPA): 204, 227, 284, (e 39,400, 5900, 3540, 3530)

Soluble in hot alcohol or in water.
Very sparingly soluble in acetone or chloroform
Merck

Picrate: mp 189-191º (Yellow needles from water) Southon & Buckingham 1989

Extraction procedure:
Orechoff & Proskurnina 1933
Proskurnina & Orekhov 1937
Proskurnina & Orekhov 1937

Synthetic routes:
Späth *et al.* 1934
Späth & Dengel 1938
Kovács & Fodor 1951
Teitel *et al.* 1974

Absolute configuration: Battersby & Edwards 1960

Biosynthesis: McFarlane & Slaytor 1972b [*See* also McFarlane & Slaytor 1972a]

Assay:
Sjöquist & Magnusen 1980

Reported color reactions:
Blue with Gibbs' reagent (on silica gel)
Strömbom & Bruhn 1978
Blue-green with Gibbs' reagent (on silica gel).
Bruhn & Lundström 1976b

Preparative tlc on silica gel:
Rf ~0.2 in Acetone-Chloroform-conc. Ammonia (50:50:2.5)
Rf ~0.4 in Chloroform-Ethanol-conc. Ammonia (50:50:2.5)
Strömbom & Bruhn 1978

tlc on silica gel G:
Rf 0.36 in Chloroform-Ethanol-Diethylamine (85:10:5)
Bruhn & Lundström 1976b

LD_{50} in mice (as HCl): [Merck 9th]
140 mg/kg if intravenous
>1000 mg/kg if oral
Antihypertensive agent (lowers blood pressure) Merck Ninth cited Livshits 1937.
(For pharmacological studies see also Teitel *et al.* 1974)
Antihypertensive agent (Has been used clinically in the USSR) Antihistamine.
Southon & Buckingham 1989

Behavioral effects in mice included tremors, convulsions and decreased motor activity.
Strömbom & Bruhn 1978 cited Teitel *et al.* 1974

MAO-A inhibition (R entantiomer with Ki = 77 μM)
Bembenek *et al.* 1990

Shows some plant growth inhibition activity. Mandava *et al.* 1981

(±)-Salsoline has been reported from:
Echinocereus merkerii Hildm.
Agurell *et al.* 1969 (no quantification)
Shulgin & Shulgin 1997 (no details)
McFarlane & Slaytor 1972b (observed in biosynthesis study)
Pachycereus pecten-aboriginum (DC) Britton & Rose
Strömbom & Bruhn 1978 (trace; rotation not indicated) tlc, gc, hplc, gc-ms

Reported from:
Alangiaceae
Alangium lamarckii
Achari *et al.* 1980
Chenopodiaceae
Corispermum leptopyrum
Drost-Karbowski 1977
Salsola arbuscula
Orekhov & Proskurina 1934
Proskurina & Orekhov 1937 & 1939
Salsola kalii
Drost 1961
Salsola richteri
Orechoff & Proskurnina 1933
Salsola ruthenica
Salsola soda
Leguminosae
Calycotome spinosa
Desmodium tiliaefolium
Ghosal & Srivastava 1973b
Genista purgen
Barca *et al.* 1959
Detailess occurrence entries from Lundström 1983.

Presence in human urine:
Collins *et al.* 1979
Sjöquist *et al.* 1981a
Sjöquist *et al.* 1981b
Presence in human cerebrospinal fluid:
Sjöquist *et al.* 1981a
Sjöquist *et al.* 1981b

Isosalsoline

1,2,3,4-Tetrahydro-6-methoxy-1-methyl-7-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-7-hydroxy-6-methoxy-1-methylisoquinoline; 7-Hydroxy-6-methoxy-1-methyl-1,2,3,4-tetrahydroisoquinoline; 6-Methyl-salsolinol; Salsolinol-O^6-methyl ether.

CA Reg. #: [4593-97-9] Southon & Buckingham 1989: Entry T-00114.

$C_{11}H_{15}NO_2$
MW 193.245 Southon & Buckingham 1989

HCl: (Synthetic R-form)
mp 241-242º
$[a]_D$ +24.7º (c, 1 in Methanol)
Southon & Buckingham 1989

Reported color reactions:
Yellow with Gibbs' reagent (on silica gel)
Bruhn & Lundström 1976b and
Strömbom & Bruhn 1978

Preparative tlc on silica gel:
Rf ~0.2 in Acetone-Chloroform-conc. Ammonia (50:50:2.5)
Rf ~0.4 in Chloroform-Ethanol-conc. Ammonia (50:50:2.5)
Strömbom & Bruhn 1978
tlc on silica gel G:
Rf 0.36 in Chloroform-Ethanol-Diethylamine (85:10:5)
Bruhn & Lundström 1976b

Behavioral effects in mice included tremors, convulsions and decreased motor activity.
Strömbom & Bruhn 1978 cited Teitel *et al.* 1974

Reported from:
Pachycereus pecten-aboriginum (DC) Britton & Rose
Strombom & Bruhn 1978 (trace) tlc, gc, hplc, gc-ms

(±)-Salsolidine

1,2,3,4-Tetrahydro-6,7-dimethoxy-1-methyl-isoquinoline; 6,7-Dimethoxy-1-methyl-1,2,3,4-tetrahydroisoquinoline; 6,7-Dimethyl-salsolinol; O-Methylsalsoline; Norcarnegine.

CA Reg. #: [38520-68-2] (±)-form Southon & Buckingham 1989: Entry T-00096.

$C_{12}H_{17}NO_2$
MW 207.272 Southon & Buckingham 1989

Free base:
mp 69-70º From Lundström 1983
Eluted from AlO_3 (Merck IV) with Chloroform-Benzene (1:1). Strömbom & Bruhn 1978

HCl: (Optically inactive)
MW 243.6 Hjort *et al.* 1942
mp 192º (white, small tufts of prisms from Ethanol-Ethyl acetate) Hjort *et al.* 1942
mp 192-194º Bruhn & Lundström 1976b
mp 194-197º Bruhn & Lindgren 1976
mp 196-197º Spath & Dengel 1938

Picrate:
mp 202-204º (dec.) Bruhn & Lindgren 1976
mp 203-205º (dec.) Bruhn & Lundström 1976b
mp 201-201.5º (dec.) Spath & Dengel 1938

Biosynthetic studies: Bruhn *et al*. 1970

Synthesis:
Spath & Dengel 1938
Braacca & Kaufman 2004
Hjort *et al.* 1942
Ito *et al.* 2003
Kaufman 2008
See also Kaufman 2004

Blue-green with Gibbs' reagent as TLC spray. Bruhn & Lundström 1976b

Isolation:
Bruhn & Lundström 1976b
Strömbom & Bruhn 1978
Pharmacological action in anesthetized dogs:
Dosages of 1.95-15.20 mg/ kg caused a decrease in blood pressure. Did not produce tremors. Increased repiration but had no effect on the pulse rate. No effects on the action of atropine or epinephrine. Hjort *et al.* 1942

Weak MAO-A inhibition (R entantiomer with Ki = 6 μM)
Bembenek *et al.* 1990

Toxicity:
LD_{50} 189 mg/ kg in albino mice. Route not included. Hjort *et al.* 1942
Produce coarse tremors in mice, at fatal levels.

"*Brief convulsive hops and respiratory distress preceded death*". Hjort *et al.* 1942

MS, 1H and 13C-NMR: El-Shazly & Wink 2008.

(±)-Salsolidine has been reported from:
Leguminosae
Alhagi pseudalhagi
Ghosal *et al.* 1974
Ghosal & Srivastava 1973a
Desmodium cephalotes
Ghosal & Mehta 1974
Genista purgen
Barca *et al.* 1959
Chenopodiaceae
Corispermum peltopyrumC
Drost-Karbowski 1977
Haloxylon articulatum
El-Shazly & Wink 2008. (growing in Egypt)
Salsola arbuscula
Orekhov & Proskurina 1934
Proskurina & Orekhov 1937 & 1939
Salsola kalii
Drost 1961
Detailless entries above are from Lundström 1983

Cactaceae
Carnegiea gigantea (Engelmann) Britton & Rose
Bruhn & Lundström 1976b (Major alkaloid: 0.02% fresh wt.) (3.2 grams (calc. as free base) isolated from 15 kilos of fresh material) tlc, gc, nmr, ir, ms. [Concerning our math-work for **Bruhn & Lundström 1976b**: 15 kg of fresh cactus yielded 32 grams of alkaloids. 80% was nonphenolic and 20% was phenolic. When purifying these fractions they only used 1 gram of the nonphenolic and 0.5 grams of the phenolic fractions. The amounts listed in their account is what was obtained from these aliquots rather than totals. For all compounds except dopamine the yields were calculated, by kt, as if they had used all of their product and then recalculated them in terms of their free bases (Alkaloids were obtained as the hydrochloride salts in all cases except for Arizonine)]
Bruhn *et al.* 1970 (0.001% by fresh weight; Major alkaloid)
Ordaz *et al.* 1983 (0.47% yield by dry weight; as HCl) tlc, mp, mmp, ei-ms, ci-ms, nmr
(Not observed by Brown *et al.* 1972b in ANY samples they tested.)
Unger *et al.* 1980 (reported this alkaloid to be present) MIKES.
[Agurell *et al.* 1971a has also been cited but is not available to our reference providers.]
Pachycereus marginatus (DC) Br. & R.
Unger *et al.* 1980 reported its presence but their conclusion needs confirmation. (Their results are in conflict with all other workers.) MIKES

Pachycereus pecten-aboriginum (DC) Britton & Rose
Bruhn & Lindgren 1976 (Main alkaloid; 0.00656% recovery by fresh wt.: 282 mg from 4.3 kg fresh) mp, ir, nmr, ms.
Strömbom & Bruhn 1978 (Major alkaloid: 282 mg from 4.3 kg fresh) tlc, mp, ir, nmr
Bruhn & Lundström 1976b (0.0016% fresh wt.: 244 mg, calc. as free base, was isolated from 15 kilos of fresh material) tlc, gc, nmr, ir, ms.
[Unger *et al.* 1980 DID NOT detect Salsolidine (using MIKES)]
Pachycereus weberi (Coulter) Backeberg
Unger *et al.* 1980 reported with MIKES. Needs confirmation They were the only workers to report this alkaloid in this species

Dehydrosalsolidine

3,4-Dihydro-6,7-dimethoxy-1-methylisoquinoline, 9CI; 6,7-Dimethoxy-1-methyl-dihydroisoquinoline; 1,2-Didehydrosalsolidine.

Southon & Buckingham 1989: *See* in Entry T-00096.

$C_{12}H_{15}NO_2$
MW 205.256 Southon & Buckingham 1989
MW 205 (MIKES) Roush *et al*. 1985

HCl:
MW 241.6 Hjort *et al.* 1942
Strong bluish white fluorescence. Hjort *et al.* 1942
mp 200º Kaufmann & Radosevic 1916
mp 205º (dec.) (White small stout prisms from Ethanol-Ethyl acetate) Hjort *et al.* 1942
Insoluble in Ether. Hjort *et al.* 1942

Synthesis:
Spath & Polgar 1929 (base)
Kaufmann & Radosevic 1916
Prepared by cyclizing Acetyl homoveratrylamine using Phosphorus oxychloride. Hjort *et al.* 1942

Pharmacological action in anesthetized dogs:
Dosages of 1.93-7.72 mg/ kg caused a decrease in blood pressure. Produced marked tremors. No effects on repiration or pulse rate. Did not affect the action of atropine but caused a sensitization towards epinephrine.
Hjort *et al.* 1942
Toxicity:
LD_{50} 108 mg/ kg in albino mice. Route not included.
Hjort *et al.* 1942

Produced persistent fine tremors in mice, at fatal levels. "*Brief convulsive hops and respiratory distress preceded death*".
Hjort *et al.* 1942

Reported from:
Carnegiea gigantea (Engelmann) Britton & Rose
(%?) Lundström 1983 cited Pummangura *et al.* (1983) *J. Nat. Prod*. (In press) [S. Pummangura, J.L. McLaughlin, D.V. Davies & R.G. Cooks] Not in 1983 or 1984 author index.
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Isosalsolidine

6,7-Dimethoxy-1-methyl-isoquinoline

MW 203.24 (Calculated via isomers)
MW 203 (MIKES) Roush *et al*. 1985
Yellow color with Gibbs' reagent.
Strömbom & Bruhn 1978

Reported from:
Pachycereus pecten-aboriginum (DC) Britton & Rose
Strömbom & Bruhn 1978 (detected) tlc, gc, hplc, gc-ms
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

N-Methylheliamine

1,2,3,4-Tetrahydro-6,7-dimethoxy-2-methylisoquinoline, 9CI; 6,7-Dimethoxy-2-methyl-THIQ; O-Methylcorypalline; Oxymethylcorypalline

CA Reg. #: [16620-96-5] Southon & Buckingham 1989: Entry T-00097.
NX5018510

$C_{12}H_{15}NO$
MW 207.272 Southon & Buckingham 1989
MW 207 (MIKES) Roush *et al*. 1985

Free base:
mp (69-70º, 82º) Crystals from methanol, Crystals + ½ H2O from Ether (hemihydrate) Southon & Buckingham 1989
Free base is soluble in Chloroform.
(Eluted from silica gel with Benzene-Chloroform; 3:17, along with other bases)
Mata & McLaughlin 1980c

HCl: mp 210º (natural); 215º (synthetic)
Mata & McLaughlin 1980c

Picrate: mp 160º Crystals from Benzene-Methanol
Southon & Buckingham 1989

Reported color reactions:
Iodoplatinate- Purple
Fluorescamine- No reaction.
Mata & McLaughlin 1980c

UV, NMR, CI-MS, EI-MS: Mata & McLaughlin 1980c

MS: Lindgren *et al.* 1976

^{13}C-NMR:
Hughes *et al.* 1977
Mata *et al.* 1983

PMR: Miller *et al.* 1978

Structure:
Yang & Chen 1970

Synthesis:
Mata & McLaughlin 1980c (citing Spath 1921a for the route)
Manske 1937
Miller *et al.* 1978

MAOI properties:
Inhibited MAO B (Ki = 29µM) Bembenek *et al*. 1990

N-Methylheliamine has been reported from:
Cactaceae
Backebergia militaris (Audot) Bravo ex Sanchez Mejorada
Ferrigni *et al.* 1984 (Detected in an impure residue) ms-ms
Lophocereus schottii (Engelmann) Britton & Rose
Unger *et al.* 1980 This report needs confirmation. Unless MIKES just fails entirely for the 1-*Iso*butyl-substituted THIQs, their results are in direct and complete conflict with the rest of the work published for this species.]
Pilocereus guerreronis (Backeberg) Byles & Rowley
Lindgren & Bruhn 1976 (trace)
Pachycereus marginatus (DC) Br. & R.
Unger *et al.* 1980 reported the presence of this alkaloid but it seems necessary to question their conclusion as it is in complete conflict with the rest of the literature. A similar discrepancy exists in their report for *L. schottii* (see comments under its entry)] MIKES
Pachycereus pecten-aboriginum (DC) Britton & Rose
Unger *et al.* 1980 reported the presence of this alkaloid but it seems necessary to question their conclusion as it is in complete conflict with the rest of the literature. A similar discrepancy exists in their report for *L. schottii* (see comments under its entry)] MIKES
Pachycereus pringlei (S.Wats) Br. & R
Crockett & Shulgin 1999 (Personal communication with Shulgin; unpublished findings?) gc-ms
Unger *et al.* 1980 reported (see comments under *L. schottii* entry) MIKES
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.0012% dry wt as HCl) nmr, ir, ci-ms, ei-ms
Roush *et al*. 1985 (no quantification) ms-ms, tlc
[Unger *et al.* 1980 Detected by MIKES]
Nelumbonaceae
Nelumbo nucifera
[ref Yang & Chen 1970 J. Chin. Chem Soc (Taipei) 17: 235] [in seed embryo extracts Southon & Buckingham 1989]
Papaveraceae
Papaver bracteatum (capsules)
Southon & Buckingham 1989
Ranunculaceae
Thalictrum dioicum
Thalictrum polygamum
Southon & Buckingham 1989

N-Methyl-6,7-dimethoxyisoquinolinium chloride

Colorless fine needles (from Ethanol and *n*-Hexane)
mp 185.5-186.5ºC
uv λ max (MeOH) 310 nm (log ε 3.95), 253 (4.91)
Wu *et al.* 1980

Isolated and identified.in *Thalictrum revolutum* in Wu *et al.* 1980 (mp, nmr, ms)

N-Methylisosalsoline

7-Hydroxy-6-methoxy-1,2-dimethyl-THIQ

CA Reg. #: [35048-35-2] Southon & Buckingham 1989: *See* within Entry T-00114.

$C_{12}H_{17}NO_2$

mp 156-158º Naruto & Kaneko 1973 [from Lundström 1983]

HCl mp 178-179º Carling & Sandberg 1970

$[a]_D$ +33.5º (c = 0.23, $CHCl_3$) Naruto & Kaneko 1973 [from Lundström 1983]

MS, 1H and 13C-NMR: El-Shazly Wink 2008

Reported from:
Haloxylon articulatum
Carling & Sandberg 1970
El-Shazly Wink 2008. (growing in Egypt)
Corydalis ambigua
Naruto & Kaneko 1973 [from Lundström 1983]

N-Methylisosalsoline

1,2,3,4-Tetrahydro-6-methoxy-1,2-dimethyl-7-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-7-hydroxy-6-methoxy-1,2-dimethyl-isoquinoline; 7-OH-6-MeO-1,2-diMe-THIQ; 1-Methylcorypalline.

CA Reg. #: [35048-35-2] Southon & Buckingham 1989: in Entry T-00114.

$C_{12}H_{17}NO_2$
MW 207.272 Southon & Buckingham 1989

mp 156-158º
$[a]_D$ +33.5º (c, 0.23 in Chloroform)
$[a]_D$ +1º (c, 0.23 in Ethanol)
Southon & Buckingham 1989

N-Methylisosalsoline has been reported from:
Chenopodiaceae
Haloxylon articulatum
Carling & Sandberg 1979
Fumariaceae
Corydalis ambigua (tubers)
Southon & Buckingham 1989

Lophocerine

1,2,3,4-Tetrahydro-6-methoxy-2-methyl-1-(2-methyl-propyl)-7-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-7-hydroxy-1-isobutyl-6-methoxy-2-methylisoquinoline; 1-*i*-Butyl-s7-hydroxy-6-methoxy-2-methyl-THIQ; 1-*iso*-Butyl-1,2,3,4-tetrahydro-7-hydroxy-6-methoxy-2-methylisoquinoline; 1-*iso*butyl-2-methyl-6-methoxy-7-hydroxy-1,2,3,4-tetrahydroisoquinoline; 1,2,3,4-tetrahydro-1-isobutyl-6-methoxy-2-methylisoquinolin-7-ol; Lophocereine.

CA Reg. #: [19485-63-3] Southon & Buckingham 1989: Entry L-00134.

$C_{15}H_{23}NO_2$
MW 249.352 Southon & Buckingham 1989

Calculated: C, 72.2; H, 9.3; N, 5.6
Experimental: C, 72.2; H, 9.4; N, 5.7
Bobbitt & Chou 1959

Free base is an oil. Tomita *et al.* 1963
Heavy orange oil (not crystalline). Bobbitt & Chou 1959
mp 176-177º O'Donovan & Barry 1974 **CHECK THIS. SEEMS TOO HIGH for the free base. (Picrate?)**
$bp_{0.05mm}$ 150-225º Djerassi *et al.* 1958
Distilled at 0.05mm with a 140-170º bath temperature. Kircher *et al.* 1967
Sublimed at 96-104º at 0.5mm Bobbitt & Chou 1959
Soluble in Methanol. Bobbitt & Chou 1959
Soluble in Chloroform & in Ether. Tomita *et al.* 1963

Free base showed purple color with Ferric chloride.
Bobbitt & Chou 1959

Picrate:
mp 172-175º Bobbitt & Chou 1959 (Thought this might represent a crystal modification; they were unable to convert the higher melting form to the lower one)
mp 190-191.5º Kircher *et al.* 1967
mp 191.5-193º (after 2 recrystallizations from benzene)
Bobbitt & Chou 1959
mp 192-193º O'Donovan & Horan 1968
mp 194-195º (Yellow rhombic crystals from Ethanol)
Tomita *et al.* 1963
mp 194-195º (Yellow rhombic plates from Ethanol)
Bessho 1963a &1963c

Oxalate:
mp 213-214º dec. (colorless pillars from Ethanol)
Bessho 1963c
mp 213-214º dec. (colorless pillars from Ethanol & as colorless prisms from Ethanol) Tomita *et al.* 1963
Easily soluble in Ethanol when excess of oxalic acid is present. Tomita *et al.* 1963
Gibbs' reaction was negative. Bessho 1963c

Styphnate:
mp 171-172º (recrystallized 6 times from absolute ethanol) Bobbitt & Chou 1959
mp 171-172º (EtOH) [ref Reti 1954]
mp 171-172º (EtOH) Southon & Buckingham 1989

Methiodide:
mp 198-200º (colorless needles from Acetone-Methanol) Tomita *et al.* 1963

Methyl ether:
mp 185-186º (from Ethanol) Djerassi *et al.* 1958
$bp_{0.5}$ 137-139º Southon & Buckingham 1989

Methyl ether picrate:
mp 180-182º (from Ethanol) Bobbitt & Chou 1959
mp 183-185º Djerassi et al 1955
mp 184-185º (Yellow plates from Ethanol) Bessho 1963a & 1963c
mp 185-187º (Yellow plates from Acetone-Ethanol) Southon & Buckingham 1989
Eluted from Alumina with Hexane-Ether (19:1) Bessho 1963a

Methyl ether styphnate:
mp 210-212º (from Ethanol) Bobbitt & Chou 1959
mp 212-214º Djerassi *et al.* 1955

Methyl ether methiodide:
mp 196-198º (Colorless plates from Hexane-Acetone) Bessho 1963b
mp 196-198º (Plates from Hexane-Acetone) Southon & Buckingham 1989

Ethyl ether picrate:
mp 150-153º (from Ethanol) Bobbitt & Chou 1959
mp 153-153.5º Djerassi *et al* 1956d

Ethyl ether styphnate:
mp 182-183º (from Ethanol) Bobbitt & Chou 1959
mp 183-184º Djerassi *et al* 1956d

Isolation: Djerassi *et al.* 1958c

Tomita *et al.* 1963 used Dragendorff's to visualize in tlc.

Synthesis:
Bobbitt & Chou 1959
Tomita *et al.* 1963 (Independent of Bobbitt & Chou 1959 and occurring during the same time frame)
(Kircher *et al.* 1967 used the method of Bobbitt & Chou 1959)

Biosynthesis (originates from tyrosine):
O'Donovan & Barry 1974
Southon & Buckingham 1989 also cited
O'Donovan & Horan 1968
Schütte & Seelig 1969

Reported from:
Lophocereus schottii (Engelmann) Britton & Rose
Djerassi *et al.* 1958c (0.19% by dry wt.) mp
O'Donovan *et al.* 1971 (Noted to be present)
O'Donovan & Barry 1974 (Noted to be present)
O'Donovan & Horan 1968 & 1969 (Noted to be present)
Djerassi *et al.* 1953b
Djerassi *et al.* 1962
Kircher *et al.* 1967 "*at most*" 0.18% dry wt. citing Djerassi *et al.* 1958
West *et al.* 1975 (traces) tlc
[Agurell 1969c appears cited as a reference but only mentions a previous report of and did not analyze this species.]
[Lundström 1971 is also cited in the literature; he mentions lophocereine but did not analyze this species.]
Lophocereus schottii (Engel.) Br. & R. *forma* ***mieckleyanus*** G.Lindsey
West *et al.* 1975 (traces) tlc
Lophocereus schottii (Engel.) Br. & R. *forma* ***monstrosus*** Gates
West *et al.* 1975 (traces) tlc
Pachycereus marginatus (DC) Br. & R**.**
Lindgren *et al.* 1971 (Observed using Mass fragmentography)
[Djerassi *et al.* 1954c, also appears listed as a reference but did not report this alkaloid.]
[Interestingly, if we compare the reported chemical profiles as concerns *Lemaireocereus*, *Lophocereus*, *Marginatocereus*, *Pachycereus*, *Stenocereus* and similar giant Ceroids, it strongly suggests that this species should probably be renamed *Lophocereus marginatus.*]

Carnegine

1,2,3,4-Tetrahydro-6,7-dimethoxy-1,2-dimethylisoquinoline, 9CI; 6,7-Dimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline; 6,7-diMeO-1,2-diMe-THIQ; N-Methylsalsolidine; Pectinine; Pectinin

CA Reg. #: [490-53-9] (±-form; naturally occurring)
(R)-form: CA Reg. # [51745-28-9] (Synthetic)
(S)-form: CA Reg. # [38221-25-9] (Synthetic)
Southon & Buckingham 1989: Entry T-00092.

$C_{13}H_{19}NO_2$
MW 221.29 Merck 9th Entry #1847
MW 221.299 Southon & Buckingham 1989
MW 221 (MIKES) Roush *et al*. 1985

C 70.55%, H 8.66%, N 6.33%, O 14.46%

Free base:
Oil. Southon & Buckingham 1989
Viscous liquid. Decomposes on standing.
Distills 170º at 1 mm (in an air bath)
Alkaline reaction.
Soluble in alcohol, chloroform, ether
Merck 9th

(R)-form: $[a]^{19}_{D}$ +24.6º (c, 3 in EtOH) (Synthetic)
(S)-form: $[a]^{19}_{D}$ -24.4º (c, 9 in EtOH) (Synthetic)
Southon & Buckingham 1989: Entry T-00092.

HCl:
MW 257.6 Hjort *et al.* 1942
mp 209-211º Brown *et al.* 1972
mp 210-211º Späth 1929
mp 211-212º (tiny white nodules from Ethanol-Ethyl acetate) Hjort *et al.* 1942

as monohydrate: mp 207º (clusters from dilute alcohol) [mp 211º when anhydrous]
Soluble in H_2O.
Slightly soluble in alcohol.
Merck 9th

Hydrobromide monohydrate: mp 228º (Needles from alcohol) Merck 9th

Picrate
mp 212-213º Späth 1929
mp 213º (evacuated tube) (Crystals from methanol) Merck 9th
mp 213-215 Bruhn & Lundström 1976

Methiodide:
mp 210-211º Späth 1929
mp 211º (evacuated tube) "*after drying at 100º and 10 mm*" (Needles from methanol) Merck 9th

UV, Structure: Cymerman Craig *et al.* 1977

IR, PMR, MS: Brown *et al.* 1972

MS, ^{1}H and ^{13}C-NMR: El-Shazly & Wink 2008

EIMS: [M]+ ion at 221 with fragment ion peaks at m/z 206 (100%) [M<ETH>Me]+ and 190 (76%) [M<ETH>OMe]+.

GET Carling and Sandberg 1970;
GET Khalil et al., 1992

^{13}C-NMR: Mata *et al.* 1983

Isolation:
Heyl 1928
Späth 1929

Synthesis:
Späth 1929 & 1938
Brown *et al.* 1972
Ito *et al.*. 2004
Nakada & Nisgihara 1944
Pyne *et al.* 1986
Shono *et al.* 1978
Teitel *et al.* 1972 & 1974

Biosynthetic studies: Bruhn *et al*. 1970

Pharmacological action:
In dogs, 16.48 mg/kg (route not included) [0.064mM/ kg] caused a decrease in blood pressure. Effects lasted around 5 minutes. It also increased respiraton and decreased the pulse rate. "*Strychnine-like tremors*" were noted in anesthetized dogs (also described as "*violent strychnine-like convulsions of long duration*") (p. 267). In rats, tremors were not noted in animals given fatal doses (p.266). In dogs, it decreased the effects of Epinephrine and had no effect on the action of Atropine. Hjort *et al.* 1942

Found to increase reflex excitability in frogs. Hjort *et al.* 1942 cited Heyl 1928

Studied as a neuromuscular junction blocking agent in Erhardt & Soine 1975

Weak MAO-A inhibition (R entantiomer with Ki = 2 μM)
Bembenek *et al.* 1990

Toxicity:
LD_{50} 26 mg/ kg in albino mice. Route not included. Hjort *et al.* 1942

Convulsive agent. Southon & Buckingham 1989
Effects are said to resemble strychnine; causing tonic-clonic convulsions. Strömbom & Bruhn 1978 cited Santi-Soncin & Furlanut 1972
Moderately exophthalmous at fatal levels.
"*Brief convulsive hops and respiratory distress preceded death*".
Hjort *et al.* 1942

Shows plant growth inhibition activity (as HCl). Phytotoxic at higher levels. Mandava *et al.* 1981

Reported from:
Chenopodiaceae
Haloxylon articulatum
Carling & Sandberg 1970
El-Shazly & Wink 2008. (growing in Egypt) ms, nmr
Haloxylon salicornicum
Southon & Buckingham 1989

Cactaceae
Carnegiea gigantea (Engelmann) Britton & Rose
Heyl 1928 Isolated (0.7% dry wt) & named
Späth 1929 Isolated
Brown *et al.* 1968 (Identified)
Brown *et al.* 1972b reported to be present in decent amounts (70% of total alkaloid content)
[Presence also noted in Hodgekins *et al.* 1967]
Also by Bruhn *et al.* 1970, who, unlike Brown, suggested presence was only in young plants but not in larger specimens. Unable to determine details due to procedural differences.
Bruhn & Lundström 1976b (0.019% by fresh weight (2.9 grams of base from 15 kg fresh) [Concerning our math-work for **Bruhn & Lundström 1976b**:
15 kg of fresh cactus yielded 32 grams of alkaloids. 80% was nonphenolic and 20% was phenolic. When purifying these fractions they only used 1 gram of the nonphenolic and 0.5 grams of the phenolic fractions. The amounts listed in their account is what was obtained from these aliquots rather than totals.
For all compounds except dopamine the yields were calculated, by kt, as if they had used all of their product and then recalculated them in terms of their free bases (Alkaloids were obtained as the hydrochloride salts in all cases except for Arizonine)]
[Agurell *et al.* 1971a is also cited but is not presently available]
Ordaz *et al.* 1983 (0.575% yield by dry weight as HCl)
Unger *et al.* 1980 reported either this alkaloid or something isomeric with it (MIKES)
Pachycereus pecten-aboriginum **(DC) Britton & Rose** [
Heyl 1928 isolated and named Pectenine (*pectenin*)
Spath & Kuffner 1929 showed it was identical to Carnegine
[Agurell *et al.* 1971b & Bruhn & Lindgren 1976 & Strömbom & Bruhn 1978 could **NOT** detect carnegine.)
[Unger *et al.* 1980 Possibly detected but MIKES does not differentiate between aromatic isomers.]
Pachycereus pringlei **(S.Wats) Br. & R**
Crockett & Shulgin 1999 (Personal communication; unpublished findings) gc-ms
Pachycereus weberi (Coulter) Backeberg
Roush *et al*. 1985 (no quantification) ms-ms, tlc

Tepenine

1,2,3,4-Tetrahydro-7,8-dimethoxy-1,2-dimethylisoquinoline, 9CI; 7,8-Dimethoxy-1,2-dimethyl-THIQ (A positional isomer of gigantine)

CA Reg. #: [34319-92-1] Southon & Buckingham 1989: Entry T-00093.

$C_{13}H_{19}NO_2$
MW 221.299 Southon & Buckingham 1989

Oddly there has never been publication of any details concerning its isolation or its structural determination. Apparently this was first presented by J. Weisenborn (of E.R. Squib & Sons) at the 5th Annual Meeting of *the American Society of Pharmacognosy* held in Pittsburg, PA during June of 1964. It has also been attributed to personal correspondence received in 1978 and was mentioned in Kapadia *et al.* 1970c.

Synthesis: Kapadia *et al.* 1970c

Shows some plant growth inhibition activity (as HCl). Phytotoxic at higher levels. Mandava *et al.* 1981

Reported from:
Pachycereus tehuantepecanus T.MacDougall & H.Bravo
Kapadia *et al.* 1970c, Lundström 1983, Mata & McLaughlin 1980d & Southon & Buckingham 1989 **ALL** cited Weisenborn unpublished. Oddly, the details concerning its isolation and structural determination by Weisenborn (in or prior to 1964) have apparently never been published.

Anhalamine

6,7-Dimethoxy-8-hydroxy-1,2,3,4-tetrahydroisoquinoline; 1,2,3,4-Tetrahydro-6,7-dimethoxy-8-isoquinolinol. (Penick)

WLN: T66 CMT&J HO1 IO1 JQ
Hayward: 6RR(OM)R(OM)RQYLNHLLY
#671 in Usdin & Efron 1979

CA Reg. #: 000643607 [643-60-7]
#757 in CRC 1980-1981. [Beilstein ref B21[4], 2521] & Southon & Buckingham 1989: Entry T-00104.

$C_{11}H_{15}NO_3$
MW 209.24 [Merck Ninth #686] MW 209.25 [CRC]
MW 209.1048 (Menachery *et al.* 1986 #65.)

Free base:
Crystals mp 189-191° Spath & Becke 1935c
Needles (Alcohol) mp 187-188° [CRC]
Microscopic needles 189-191° Reti 1950
mp 186-188° (in vacuum) Brossi *et al.* 1964
Almost insoluble in cold water, cold alcohol and ether.
Soluble in hot water, alcohol, acetone and dilute acids.
Merck Ninth

Hydrochloride dihydrate:
$C_{11}H_{15}NO_3$ HCl·$2H_2O$.
Crystals from water mp. 258º. [Merck Ninth]
mp 258º (from water) with 2 H_2O [from alcohol) with 1 H_2O (no mp given)] Reti 1950
mp 257º Lundström 1972
mp 257-258º Bobbitt & Dutta 1969
mp 277-278º (from HOAc) Brossi *et al.* 1964

Picrate:
mp 237-240º Reti 1950
mp 249-249.5º (in vacuum) Brossi *et al.* 1964
mp 256-258º Brossi *et al.* 1965
mp 257-258º Kametani *et al.* 1966

Sulfate:
Colorless prisms; very water soluble, less soluble in alcohol. Reti 1950

O,N-Dimethylanhalamine methiodide
mp 211.5-212.5º Reti 1950

Isolated and named by Ernest Kauder. [Kauder 1899: 194.]

Found to be present at 0.1% in peyote by Heffter 1901. (Reti 1950)
0.6-0.7% anhalamine in dried peyote buttons. Ott 1993

UV_{max} (Ethanol) 274 nm (log e 2.90) Merck Ninth

UV, IR, and ^1H NMR: Brossi *et al.* 1964

MS: Lundström 1972

Chromophores reported with tlc reagents:
Violet with 0.1% aqueous tetrazotized dl-O-anisidine (TDA) Kapadia *et al.* 1968
O-Dianisidine reagent- Purple (as equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water) Lundström & Agurell 1967

Structure elucidated by Späth & Becke 1934b

Synthesis:
Späth & Röder 1922
Brossi *et al.* 1964 & 1965
(Lundström 1972 is also cited but synthesized isoanhalamine)
Kametani *et al.* 1966.

Biosynthetic studies:
Kapadia *et al.* 1970
Khanna *et al.* 1970
Lundström & Agurell 1968b

Review: Manske 1954

Oddly listed as a hallucinogen in Usdin & Efron 1979 citing Usdin & Usdin 1961

Anhalamine has been reported from:
Cactaceae
Gymnocalycium achirasense Till & Schatzl
Štarha *et al.* 1998 (0.00097% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (0.00054% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium baldianum Speg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum Ito
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (0.00088% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium comarapense Backeberg
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00048% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
See also Herrero-Ducloux 1930b who apparently isolated small amounts and identified several alkaloids using chemical tests but, until Štarha's investigation, no one had confirmed his report. We are still trying to get a copy of this paper. Cited by both of the following:
Mata & McLaughlin 1982
Reti 1950 says Herrero-Ducloux found"*reactions similar to*" (Chemical Abstracts gives this as Anhalonine)
Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (0.0019% [± 0.00028] by fresh wt.) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00215% [± 0.00014] by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00019% [± 0.00004] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00505% [± 0.0005] by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii (Vaupel) Werdermann
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium schickendantzii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium striglianum Jeggle
Štarha 1995a ("*readily apparent*" at around 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.

Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (5% of total alkaloid fraction) gc, gc-ms
Todd 1969 (only in tops, none in roots) tlc
Lophophora diffusa* var. *koehresii Øiha
Štarha & Kuchyna 1996 (4.74% [± 0.32] of the total alkaloid content) gc, gc-ms.
Štarha 1997 (4.7% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (0.2% & 0.7% of total alkaloid fraction) gc, gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**; both were cultivated]
Lophophora jourdaniana Habermann
Štarha 1997 (1.7% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (6.94% [± 0.30] of the total alkaloid content) gc, gc-ms
Štarha 1997 (6.9% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii
Fujita *et al.* 1972 (detected) tlc, gc, ms. (as *Lophophora williamsii* var. *caespitosa* Y.Ito)
Kauder 1899 Unable to obtain article. Volume missing. Heffter 1901
Späth & Becke 1935b (0.1% dry wt. Unable to confirm. Volume missing)
Todd 1969 (equal in tops and roots) tlc
Lundström 1971b (0.64% dry wt.: 8% of 8% total alkaloid.)
[Also in Habermann 1974a (from Štarha *nd*)]
Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (4.9 ppm in early Spring / 39.6 ppm In late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (9.6 ppm early Spring/ 48.7 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

N-Formylanhalamine

$C_{12}H_{15}NO_4$
MW 237.0097 Menachery *et al.* 1986 #70.
MW 237.255 Southon & Buckingham 1989: *See* in Entry T-00104.

Reported from *Lophophora williamsii*
Kapadia & Fales 1968 (trace) glc-ms

N-Acetylanhalamine

$C_{13}H_{17}NO_4$
MW 251.1153 Menachery *et al.* 1986 #72.
MW 251.282 Southon & Buckingham 1989: *See* in Entry T-00104.

Reported from *Lophophora williamsii*
Kapadia & Fales 1968 (trace) glc-ms

Isoanhalamine

6-Hydroxy-7,8-dimethoxy-THIQ

CA Reg. #: [5308-58-7] Southon & Buckingham 1989: Entry T-00103.

$C_{11}H_{15}NO_3$

MW 209.1048 Menachery *et al.* 1986 #63.
MW??? zzz CHECK Southon & Buckingham 1989

Free base:
mp 172-174º (from CH_2Cl_2-Et_2O) Brossi *et al.* 1966

Hydrochloride:
mp 214-216º Basmadjian *et al.* 1978

Hydrobromide:
mp 213-215º Lundström 1972
mp. 214-215.5º Brossi *et al.* 1966

Salicylate:
mp 155-157º (from CH_2Cl_2/Et_2O) Brossi *et al.* 1966

UV: Brossi *et al.* 1966
IR: Brossi *et al.* 1966
^{1}H NMR: Brossi *et al.* 1966
MS: Lundström 1972

Synthesis:
Brossi *et al.* 1966
Lundström 1972

Reported from *Lophophora williamsii*
Lundström 1972 (detected) tlc, glc-ms

Anhalinine

1,2,3,4-Tetrahydro-6,7,8-trimethoxy-isoquinoline, 9CI; 6,7,8-Trimethoxy-1,2,3,4-tetrahydroisoquinoline; O-Methylanhalamine.

WLN: T66 CMT&J HO1 IO1 JO1
Hayward: 6{R(OM)}3RYLLNHLY
Usdin & Efron 1979 #673)

CA Reg. #: [642-30-8] Southon & Buckingham 1989: *See* in Entry T-00108.

$C_{12}H_{17}NO_3$
MW 223.1204 Menachery *et al.* 1986 #68.
MW 223.271 Southon & Buckingham 1989

Free base:
Sublimes. Southon & Buckingham 1989
mp 61-63º Späth & Becke 1935b

Hydrochloride:
White crystals 248-250º Reti 1950
mp 248-250º (EtOH) Bobbitt & Dutta 1969

Picrate:
mp 184-185º Reti 1950 [Menachery *et al.* 1986 cites Reti 1954]

Chloroaurate mp 139-140º
Chloroplatinate mp 207-208º Reti 1950

Methiodide mp 211.5-212.5º
Reti 1950 and Menachery *et al.* 1986 citing Reti 1954
[Phytotoxic. Caused complete necrosis of plant growth. Mandava *et al.* 1981]

First isolated from peyote by Späth & Becke 1935a

Chromophores reported:
Yellow chromophore with O-Dianisidine reagent (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water) Lundström & Agurell 1967

Synthesis:
Menachery *et al.* 1986 cites Bobbitt & Dutta 1969
s&b cited Spath *et al.* 1935 68: 501, 944

Ghansah *et al.* 1993 reported that micromolar amounts of anhalinine inhibited the release of acetylcholine by cholinergic nerve terminals at the neuromuscular junction.

Shows weak plant growth inhibition activity (as HCl). Phytotoxic at higher levels. Mandava *et al.* 1981

Anhalinine has been reported from:
Gymnocalycium albispinum Backeberg
Šťarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium anisitsii Br. & R.
Šťarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium baldianum Speg.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium bayrianum Till.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium boszingianum Schütz
Šťarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum Ito
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium cardenansianum R.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium curvispinum Friè
Šťarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium delaetii Backbg.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium denudatum (L. & O.) Pfeiff.
Šťarha *et al.* 1998 (0.00006% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Šťarha *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium horridispinum Frank
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium megalotheles Br. & R.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium monvillei (Lem.) Br. & R.
Šťarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Šťarha *et al.* 1998 (0.00007% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii Werd.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium ragonesii Cast.
Šťarha *et al.* 1998 (0.00109% [± 0.00018] by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Card.
Šťarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium quehlianum (Haage) Berg.
Šťarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii Br. & R.
Šťarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Šťarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Šťarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Šťarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Šťarha *et al.* 1998 (0.00014% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Šťarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Šťarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buining
Šťarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.

Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.6% of total alkaloid fraction) gc-gc-ms
Todd 1969 (Not detected) tlc [Wild material: collected Queretaro, Mexico]
Lophophora diffusa* var. *koehresii Øïha
Štarha & Kuchyna 1996 (0.44% [± 0.07] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.5% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (2.7% & 2.2% of total alkaloid fraction) gc-gc-ms. [2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (0.6% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex. [In Štarha & Kuchyna 1996 this appears as a typo (anhalamine is listed twice).]
Štarha & Kuchyna 1996 (0.45% [± 0.06] of the total alkaloid content) gc, gc-ms.
Štarha 1997 (0.5% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii (Lemaire) Coulter
Todd 1969 tlc
Späth & Becke 1935a mp, mmp
Späth & Becke 1935b (0.01% dry wt.)
Lundström 1971b (0.04% dry wt., i.e 0.5% of 8% total alkaloid content)
Pelecyphora pseudopectinata Backeberg
Štarha *et al.* 1999a (2.88% [± 0.15] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Trichocereus pachanoi Britton & Rose has appeared listed **in error**. The reference cited, Agurell 1969b, did not report this alkaloid.
Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (0.15% [± 0.08] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (29.24% [± 0.04] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (17.19% [± 1.00] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Štarha *et al.* 1999a (2.78% [± 0.31] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus* *var.* *flaviflorus (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (Trace detected) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (39.57% [± 1.14] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

N-Methylanhalinine

1,2,3,4-Tetrahydro-6,7,8-trimethoxy-2-methylisoquinoline, 9CI; N-Methyl-6,7,8-trimethoxy-1,2,3,4-tetrahydroisoquinoline; O-Methylanhalidine
Isomeric with tehuanine

$C_{13}H_{19}NO_3$
MW 237.298 Southon & Buckingham 1989 [Value given for Tehuanine]

Base: Slightly yellowish and oily
Soluble in ether, acetone

Hydrochloride:
mp 215-216º (from absolute ethanol)
Soluble in water.
(Obtained from acetone with chilling to 0º and scratching)

Picrate:
mp 135-137º (After 3X from alcohol)

Methiodide:
mp 210º (crude) mp 215º (after recrystallized 3X from alcohol)
[Späth 1921 had reported 211.5-212.5]
Insoluble in acetone

Synthesis: Castrillón 1952

This alkaloid was accidently synthesized by Castrillón during an attempt to synthesize trichocereine by reacting mescaline with formic acid-formaldehyde [Occurring via the Eschweiler-Clarke reaction.]

Incredibly this compound has not yet been reported from ***any*** natural sources.

N-Formylanhalinine

$C_{13}H_{17}NO_4$
MW 251.1153 Menachery *et al.* 1986 #71
MW 251.282 Southon & Buckingham 1989 *See* in Entry T-00108

Trace alkaloid reported from *Lophophora williamsii*
Kapadia & Fales 1968 (glc-ms)

O-Methylanhalinine

O-Methylanhalinine, as given in Štarha 1997, appears to be a typo; probably intending O-Methylanhalidine (N-Methylanhalinine), as given in Štarha & Kuchyna 1996.
This conclusion is based on the fact that a molecule properly known by this name cannot exist but my assigment of an identity is conjecture as I do not know for certain what was actually intended.

1,2,3,4-Tetrahydro-5,6,7-trimethoxyisoquinoline; 5,6,7-Trimethoxy-THIQ; N-Demethyltehuanine.

CA Reg. #: [1745-06-8] Southon & Buckingham 1989: *See* in Entry T-00151.

$C_{12}H_{17}NO_3$
MW 223.271 Southon & Buckingham 1989
MW 223 (MIKES) Roush *et al.* 1985

Free base:
mp 71-72º Southon & Buckingham 1989
Free base is soluble in Chloroform.
(Eluted from silica gel with Benzene-Chloroform; 3:17 [along with other bases)
Mata & McLaughlin 1980c

HCl:
mp 260º (natural); mp 268º (synthetic) Mata & McLaughlin 1980c
mp 268-269º (260^0) Southon & Buckingham 1989

Picrate: mp 175-177º Southon & Buckingham 1989

uv, ir, nmr, ci-ms, ei-ms: Mata & McLaughlin 1980c
^{13}C-NMR: Mata *et al.* 1983

Reported to show identical Rf to Anhalinine in 5 different tlc solvent systems: Mata & McLaughlin 1980c

Isolation: Mata & McLaughlin 1980c

Synthesis: Mata & McLaughlin 1980c (using procedure of Bobbitt *et al.* 1965)

Reported from:
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.0095% dry wt. as HCl) ir, nmr, ms
Roush *et al.* 1985 (no quantification) ms-ms, tlc.
[Mata *et al.* 1980 has also been cited. The citation was of Mata & McLaughlin 1980d which neither looked at this species nor mentioned this alkaloid.]

s&b cited Bobbitt *et al.* (1965) J., Org Chem 20: 2247 (synth)
s&b cited Hara *et al.* 1982 Heterocycles 17: 293 (synth)
s&b cited Pummangura *et al.* (1982) Phytochemistry 21: 2375 (oxide)

Dehydronortehuanine

5,6,7-Trimethoxy-dihydroisoquinoline

MW 223.27
MW 221 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

5,6,7-Trimethoxy-isoquinoline

MW 219 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Isoanhalonidine

1,2,3,4-Tetrahydro-7,8-dimethoxy-1-methyl-6-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-6-hydroxy-7,8-dimethoxy-1-methylisoquinoline; 6-OH-7,8-diMeO-1-Me-THIQ; 7,8-Dimethoxy-1-methyl-6-hydroxytetrahydroisoquinolinol

CA Reg. #: [37484-65-4] Southon & Buckingham 1989: Entry T-00106.

$C_{12}H_{17}NO_3$
MW 223.1204 Menachery *et al.* 1986 #75.
MW 223.271 Southon & Buckingham 1989

Free base:
mp 112-114º (Et_2O/ Pentane) Brossi *et al.* 1966

Hydrochloride:
mp 210-211º Basmadjian *et al.* 1978
mp 159-160º/218-211º Brossi *et al.* 1966

Hydrobromide:
mp 209-211º Lundström 1972
mp 210.5-212º Brossi *et al.* 1966

UV, IR, ^{1}H NMR and MS: Brossi *et al.* 1966

Synthesis:
Brossi *et al.* 1966
Lundström 1972

Alkaloid from *Lophophora williamsii*
Lundström 1972 (trace) glc-ms, tlc

Anhalonidine

(S)-1,2,3,4-Tetrahydro-6,7-dimethoxy-1-methyl-8-isoquinolinol; 1,2,3,4-Tetrahydro-8-hydroxy-6,7-dimethoxy-1-methylisoquinoline; 6,7-Dimethoxy-8-hydroxy-1-methyl-1,2,3,4-tetrahydroisoquinoline.

WLN: T66 CMT&J B HO1 IO1 JQ
Hayward: 6LMNHLLYRR(OM)R(OM)RQY
#674 in Usdin & Efron 1979

CA Reg. No.: 017627779
#758 in CRC 1980-1981. **[Beilstein ref B21[4], 2524]**
CA Reg. No.: [529-58-8] R-(–)-form; [3851-33-0] (±)-form
Southon & Buckingham 1989; Entry # A-00540.
NIOSH # RY 0350000 Southon & Buckingham 1989

$C_{12}H_{17}NO_3$
MW 223.1204 Menachery *et al.* 1986 #76.
MW 223.24 Merck Ninth #687.
MW 223.271 Southon & Buckingham 1989
MW 223.28 CRC
MW 223 (MIKES) Roush *et al.* 1985

C, 64.55; H, 7.68; N, 6.27; $(OCH_3)_2$, 27.6; neut. equiv., 223 (Calc)
C, 64.43; H, 7. 80; N, 6.50; $(OCH_3)_2$, 26.9; neut. equiv., 215 (Exp.) Djerassi *et al*. 1962

Free base:
Small octahedrons (Benzene, ether) Merck Ninth
mp 156-158º (Needles from Acetone-Hexane) Djerassi *et al*. 1962
mp 160º Takido *et al.* 1970 [Determined using synthesized racemic material.]
mp 159.5-160º (Subl.) Brossi *et al.* 1964]
mp 160-161º [CRC and Merck Ninth] (Also Anderson 1980 and Menachery *et al.* 1986 and Reti 1950 citing Späth 1922
[mp. 161-161.5º (recrystallized from acetone) Crude alkaloid crystallizing as needles from acetone-hexane had mp 156-158º. Djerassi *et al.* 1954
Strong base.
Freely soluble in water, alcohol, chloroform, hot benzene
Sparingly soluble in ether.
Insoluble in petroleum ether.
Solutions of anhalonidine acquire a reddish color on standing.
#687 Merck Ninth
(R)-form: $[a]_D$ –21.2º (95% Ethanol)
Racemizes readily Southon & Buckingham 1989

Hydrochloride:
Colorless needles from Methanol-Ether MeOH/Et_2O Takido *et al.* 1970
mp. 250º Paul 1973
mp 245-252º Fujita *et al.* 1972
mp 248.5-250º (EtOH/Et_2O) Brossi *et al.* 1964
(R)-form: $[a]_D$ –0.7º (95% Ethanol) Southon & Buckingham 1989

Picrate:
mp 200.5-201.5º (Needles from Ethanol) Djerassi *et al.* 1954
mp 205-208º Paul 1973
mp 201-208º Späth 1922.

Salicylate:
mp 223.5-224.5º (CH_2Cl/Et_2O) Brossi *et al.* 1966
mp 223-225º Takido *et al.* 1970 and Lundström 1972 [Takido *et al.* 1970 determined mp using racemic synthesized material.]

Chloroaurate: mp 142-145º Paul 1973

N-Methylanhalonidine hydriodide=Pellotine hydriodide
mp 125-113º Reti 1950 citing Späth 1922

N-Methylanhalonidine methiodide = Pellotine methiodide
mp 199º Reti 1950 citing Späth 1922

Heffter 1898a found 20-25 mg of hydrochloride produced a narcosis in the frog followed by increased excitability. Larger doses caused complete paralysis. Doses of 30-50 mg provoked a curarizing effect. No significant symptoms have been observed in mammals. Reti 1950

Anhalonidine is similar to pellotine. In frog produces a narcosis followed by excitability. Large doses produce a complete paralysis.
Anderson 1980 cited Kloesel 1958 (p. 312) and Chopra *et al.* 1960 (p. 42)

Pharmacological action similar to pellotine (leading to heavy-headedness and sedation) but is only about one quarter as potent.
Oral dosages of between 100 and 250 mg. produced marked sedation but no sensory changes. [Heffter 1898a]
Shulgin 1973 page 50

Anhalonidine probably does not contribute to the pharmacology as it is one fourth as active as pellotine. Ott 1993 cited Shulgin 1973

"*Highly toxic*" claimed in Southon & Buckingham but they furnish nothing to support the assertion other than citing Sax. This is in curious contrast to their other claim "*Narcotic and curarising agent to frogs but not to mammals*"

UV_{max} (ethanol) 270 nm (log e 2.81) #687 Merck Ninth

UV, IR and ^1H NMR: Brossi *et al.* 1964
IR: Fujita 1972
MS: Lundström 1972
UV and CD: Cymerman Craig *et al.* 1977

Eluted from activated alumina with chloroform-methanol (99:1) by Djerassi *et al.* 1954

Chromophores reported:
Violet with 0.1% aqueous tetrazotized dl-O-anisidine (TDA) Kapadia *et al.* 1968
O-Dianisidine reagent- Yellow (as equal volumes of 0.5% O-dianisidine in dilute HCl and 10% $NaNO_2$ in water)
Lundström & Agurell 1967 [This color seems to be in error -- yellow or brown with nonphenolics?!]

Heffter isolated 3 alkaloids and published his results and pharmacology in 1898. He named the active compound mescaline determining it to be the active alkaloid by bioassays. [Heffter 1898a]. Heffter named the other two alkaloids Anhalonidine and Lophophorine.
Anderson 1980

1.2-1.3% anhalonidine in dried peyote buttons. Ott 1993

Structure and synthesis from 3-Acetoxy-4,5-dimethoxy-N-acetylphenethylamine: Späth 1932

Synthesis:
Späth 1922
Brossi *et al.* 1964 (from mescaline).
Brossi *et al.* 1966
Lundström 1972
Takido *et al.* 1970

Biosynthesis: Kapadia *et al.* 1970

Anhalonidine has been reported from:
Cactaceae
Gymnocalycium albispinum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium anisitsii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium baldianum Speg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium bayrianum Till.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium boszingianum Schütz
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum Ito
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium cardenansianum Ritter
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium curvispinum Friè
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium delaetii Backbg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium megalotheles Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium mesopotamicum Kiessling
Štarha *et al.* 1998 (0.00005% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00014% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00008% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii (Vaupel) Werdermann
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium paraguayense Schutz
Štarha *et al.* 1998 (0.00017% [± 0.00006] by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium riograndense Card.
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione Britton & Rose
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (0.0006% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buin
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Lophophora diffusa (Croizat) H.Bravo
(Specific rotation and stereochemistry unspecified)
Bruhn & Holmstedt 1974 (trace) tlc, gc
Štarha 1997 (3.8% of total alkaloid fraction) gc-gc-ms.
Todd 1969 (equal in tops and roots) tlc

Lophophora diffusa* var. *koehresii Øíha
Štarha & Kuchyna 1996 (3.45% [± 0.82] of the total alkaloid content) gc, gc-ms.
Štarha 1997 (3.5% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (25.9% & 24.9% of total alkaloid fraction) gc-gc-ms [The 2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (20.1% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (5.32% [± 0.32] of the total alkaloid content) gc, gc-ms.
Štarha 1997 (5.2% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii
(Specific rotation and stereochemistry unspecified)
Heffter 1896 & 1898b mp
Spath 1922 Mon. Chem 43: 477 DATA???
Spath & Becke 1935 Mon. Chem 66: 327 DATA????
Lundström 1971b (1.12% dry wt. i.e. 14% of 8% total alkaloid content)
Todd 1969 (equal in tops and roots) tlc
Fujita *et al.* 1972 (0.001% by fresh wt) glc, ir, nmr (As *Lophophora williamsii* var. *caespitosa* Y.Ito n.n.)
[Also in Habermann 1974a (from Štarha *nd*)]
Pachycereus weberi (Coulter) Backeberg
(Spec. rotation & stereochem. unspec)
Djerassi *et al.* 1954c (0.01%; 0.65 gm. from 9.27 kg. of fresh plant) mp [See also 1962]
Mata & McLaughlin 1980c (traces) tlc.
[Not observed in *Pachycereus weberi* by Roush *et al.* 1985]
Stetsonia coryne (Salm-Dyck) Britton & Rose
(Spec. rotation & stereochem. unspec)
Agurell *et al.* 1971b (trace) tlc, glc, gc-ms.
Trichocereus pachanoi Britton & Rose
(Spec. rotation & stereochem. unspec)
Agurell 1969b (0.01% of total alkaloids) ms
Agurell 1969c (trace) ms
Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (2.37% [± 0.12] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (2.44% [± 0.13] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (19.86% [± 1.41] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Štarha *et al.* 1999a (22.70% [± 1.14] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus *var.* ***flaviflorus*** (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (0.88% [± 0.12] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (0.52% [± 0.11] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

Leguminosae
Acacia rigidula Bentham
Clement *et al*. 1998 (2.3 ppm early Spring/ 15.7 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

N-Formylanhalonidine

$C_{13}H_{17}NO_4$
MW 252.1153 Menachery *et al.* 1986 #80.
MW 252.282 Southon & Buckingham 1989 in entry #A-0050.

Trace alkaloid from *Lophophora williamsii*
Kapadia & Fales 1968 glc-ms

Isoanhalidine

1,2,3,4-Tetrahydro-7,8-dimethoxy-2-methyl-6-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-6-hydroxy-7,8-dimethoxy-2-methylisoquinoline; 6-Hydroxy-7,8-dimethoxy-2-methyl-THIQ; 7,8-dimethoxy-2-methyl-1,2,3,4-tetrahydro-6-isoquinolinol.

CA Reg. #: [37484-64-3] Southon & Buckingham 1989: Entry T-00107.

$C_{12}H_{17}NO_3$
MW 223.1204 Menachery *et al.* 1986 #64
MW 223.271 Southon & Buckingham 1989

Hydrochloride:
mp 215-218º Lundström 1972

MS and synthesis: Lundström 1972

Trace alkaloid in *Lophophora williamsii*
Lundström 1971b & Lundström 1972 (detected) tlc, glc-ms

Anhalidine

1,2,3,4-Tetrahydro-6,7-dimethoxy-2-methyl-8-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-8-hydroxy-6,7-dimethoxy-2-methylisoquinoline; N-Methyl-6,7-dimethoxy-8-hydroxy-1,2,3,4-tetrahydroisoquinoline; 8-Hydroxy-6,7-dimethoxy-2-methyl-THIQ; 2-Methyl-6,7-dimethoxy-1,2,3,4-tetrahydro-8-isoquinolinol;
N-Methylanhalamine.

WLN: T66 CNT&J HO1 IO1 JQ
Hayward: 6RR(OM)R(OM)RQYLNMLLY
(#672 in Usdin & Efron 1979

Chemical Abstracts Reg. No.: 002245945 [2245-94-5] Southon & Buckingham 1989: Entry T-00108.

$C_{12}H_{17}NO_3$
MW 223.1204 (Menachery *et al.* 1986 #66.)
MW 223.271 Southon & Buckingham 1989
MW 223 (MIKES) Roush *et al.* 1985

Free base:
Sublimes. Southon & Buckingham 1989
mp 130-133º Fujita *et al.* 1972
mp 131-133º (Sublimes in high vacuum at 85-95º.) Reti 1950
mp 131-133º Brossi *et al.* 1964
$_pK_{a1}$ 7.7, $_pK_{a2}$ 11.1 [50% aqueous 2-Propanol] Southon & Buckingham 1989

Hydrochloride:
mp 206-210º Fujita *et al.* 1972
mp 243º Brossi *et al.* 1964
mp 244-245º Neal *et al.* 1972

O-Methylanhalidine methiodide: **[i.e. O,N-Dimethylanhalamine methiodide]**
mp 211.5-212.5º
Reti 1950

UV, IR and ^{1}H NMR: Brossi *et al.* 1964
IR and ^{1}H NMR: Fujita 1972

Chromophores reported with tlc reagents:
Violet with 0.1% aqueous tetrazotized dl-O-anisidine (TDA)
Kapadia *et al.* 1968
Purple with O-Dianisidine reagent (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water)
Lundström & Agurell 1967
Red fading to green chromophore with tetrazotized benzidine.
Neal *et al.* 1972
Deep blue chromophore with Gibbs' reagent.
Tomita *et al.* 1963

Synthesis:
Brossi *et al.* 1964 [From Menachery *et al.* 1986]
Inubushi & Fujitani 1958 [From Menachery *et al.* 1986]
s&b cited Kametani *et al.* 1966 Yakugaku Zasshi 86: 913 [CA 66: 28631t]

Biosynthesis:
Khanna *et al.* 1970 Phytochem 9: 1811

Isolations:
Späth & Becke 1935b (from peyote)
Neal *et al.* 1972 (from ***Pelecyphora aselliformis*)**

Anhalidine has been reported from:
Cactaceae
Aztekium ritteri Böd.
Štarha 1994 (0.0008% by fresh wt.) gc-ms
Gymnocalycium anisitsii Br. & R.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium baldianum Speg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum Ito
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00007% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (0.00006% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Card.
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium striglianum Jeggle
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms

Gymnocalycium vatteri Buin
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms.
Bruhn & Holmstedt 1974 (trace) gc.
Lophophora diffusa* var. *koehresii Øíha
Štarha & Kuchyna 1996 (Trace of the total alkaloid content) gc, gc-ms
Štarha 1997 cited Štarha & Kuchyna 1996 (0.1% of total alkaloid fraction) gc-gc-ms.
Lophophora fricii Habermann
Štarha 1997 (1.0% & 1.0% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (3.1% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (0.14% [± 0.03] of the total alkaloid content) gc, gc-ms;
Štarha 1997 (0.1% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii (Lemaire) Coulter
Späth & Becke 1935a mp, mmp (*Anhalonium lewinii*)
Späth & Becke 1935b (0.001%) mp, mmp
Kapadia & Fayez 1973 (0.001% by dry weight)
Lundström 1971b (0.16% dry wt; 2% of 8% total alkaloid content) glc-ms
Fujita *et al.* 1972 (0.005% by fresh wt) mp, glc, ir, nmr. (As *Lophophora williamsii* var. *caespitosa* Y.Ito n.n.)
Pachycereus weberi
Roush *et al.* 1985 (no quantification) ms-ms, tlc.
Pelecyphora aselliformis Ehrenberg
Neal *et al.* 1972 (0.000067% by dry weight) mp, mmp, ir.
Agurell *et al.* 1971b (10-50% of the 1-10 mg of total alkaloids/ 100 grams of fresh plant) tlc, gc, glc-ms
Bruhn & Bruhn 1973 (10-50% of 10-50 mg of total alkaloids/ 100 gm. of fresh plants.) tlc, gc, glc-ms
Štarha 1994 (Less than 0.0001% by fresh wt.) gc-ms
Stetsonia coryne (Salm-Dyck) Britton & Rose
Agurell *et al.* 1971 (trace) tlc, gc, glc-ms [Obtained via commercial European sources]

Leguminosae
Acacia berlandieri Bentham
Clement *et al.* 1997 (2.9 ppm in early Spring / 40.9 In late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)
Acacia rigidula Bentham
Clement *et al*. 1998 (5.6 ppm early Spring/ 51.2 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

S-(+)-O-Methylanhalonidine

1,2,3,4-Tetrahydro-6,7,8-trimethoxy-1-methylisoquinoline, 9CI; 6,7,8-triMeO-1-Me-THIQ; O-Methyl-anhalonidine; (+)-O-Methylanhalonidine.

WLN: T66 CMT&J B HO1 IO1 JO1
Hayward: 6{R(OM)}3RYLLNHLMY
Usdin & Efron 1979 #846

CA Reg # [35646-08-3]

$C_{13}H_{19}NO_3$
MW 237.298 Southon & Buckingham 1989 # T-00152
MW 237.1360 Menachery *et al.* 1986 #82

O-Methyl-*d*-anhalonidine
Free base:
Oil. bp 140º (0.05mm) [Viscous oil ($bp_{0.05}$ 140º) Southon & Buckingham 1989]
Optically active $[a]^{16}_{D}$ +20.7º (methanol)
Reti 1950
bp 140º/ 0.05mm Späth & Bruck 1939
150º/ 0.07mm Brossi *et al.* 1971

Hydrochloride
mp 148-150º (from Ethyl acetate)
Southon & Buckingham 1989

Hydrobromide mp 202-204º (H_2O) Brossi *et al.* 1971
$[a]^{25}_{D}$: +11.5º (c 1, MeOH) Brossi *et al.* 1971
+19.7º (c 11, MeOH) Brossi *et al.* 1971
$[a]^{16}_{D}$: +20.7º (c11, MeOH) Späth & Bruck 1939
$[a]^{25}_{D}$: +20.6º (c 1, $CHCl_3$) Brossi *et al.* 1971
+19.3º (C 1, 1N HCl) Brossi *et al.* 1971
HBr +16.4º (c 1, MeOH) Brossi *et al.* 1971

Tartrate mp 190-191º
Tartrate +27.0º (c 1, MeOH)
Brossi *et al.* 1971

IR: Southon & Buckingham 1989 cited Karady 1962
UV, CD, ORD, PMR, Configuration, Crystal structure., Resolution: Brossi *et al.* 1971
UV, CD, config: Cymerman Craig *et al.* 1977
UV, ^{1}1H NMR, ORD, CD, X-ray:
Menachery *et al.* 1986 cites Brossi *et al.* 1971

Deriv.: Kapadia & Fales 1968

Yellow chromophore with O-Dianisidine reagent (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water)
Lundström & Agurell 1967

Synthesis:
Brossi *et al.* 1971
Karady 1962
Späth 1921a

Shows some plant growth inhibition activity (as HCl). Mandava *et al.* 1981

Reported from:
Gymnocalycium albispinum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.0001% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00007% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium quehlianum (Haage) Berger
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (0.00007% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Lophophora williamsii
Späth & Bruck 1939 (Isolated in very small amounts.)
Lundström 1971b (0.04% dry wt., i.e. 0.5% of 8% total alkaloid content) glc-ms

N-Formyl-O-methylanhalonidine

2-Formyl-O-methylanhalonidine

$C_{14}H_{19}NO_4$
MW 265.1309 Menachery *et al.* 1986 #81
MW 265.308 Southon & Buckingham 1989: in #T-00152

Alkaloid from *Lophophora williamsii* (absolute structure not determined.)
Kapadia & Fales 1968 (trace) glc-ms

O-Methylanhalidine

1,2,3,4-Tetrahydro-6,7,8-trimethoxy-N-methyl-isoquinoline, 9CI; 1,2,3,4-Tetrahydro-6,7,8-trimethoxy-2-methyl-isoquinoline; 1,2,3,4-Tetrahydro-6,7-dimethoxy-N-methyl-8-methoxy-isoquinoline; 6,7,8-Trimethoxy-N-methyl-1,2,3,4-tetrahydro-isoquinoline; 2-Methyl-6,7,8-trimethoxy-THIQ; N-Methyl-6,7,8-trimethoxy-1,2,3,4-tetrahydroisoquinoline; 6,7,8-Trimethoxy-2-methyl-THIQ; 6,7,8,-triMeO-2-Me-THIQ; 1-Demethyl-O-methylpellotine; N-Methylanhalinine; O-Methylanhalidine; Ro 1-2057 [as HCl] (Hoffman-LaRoche).

$C_{13}H_{19}NO_3$
MW 237.298 Southon & Buckingham 1989 # T-00152 gave this figure for O-Methyl-anhalonidine which is isomeric.
MW 237.1360 Menachery *et al.* 1986 #82 gave this figure for O-Methyl-anhalonidine which is isomeric.

Calculated: C, 57.03; H, 7.36; OCH3, 34.01; CH3, 22.0;
Found: C, 57.04; H, 7.49; OCH3, 33.81; CH3, 22.5
Castrillón 1952

Free base:
Oily & slightly yellowish
Soluble in acetone
Castrillón 1952

Hydrochloride:
Colorless
mp 215-216º (cor.) (from absolute ethanol)
Insoluble in cold acetone
Castrillón 1952

Methoiodide:
mp 210º (cor.)
mp 215º (cor.) recrystallized 3X from alcohol
Castrillón 1952
mp 211.5-212.5º Späth 1921

Picrate:
mp 135-137º (cor.) recrystallized 3X from alcohol
Castrillón 1952

Synthesis: (two routes)
1) (from mescaline) Reti & Castrillón 1951 & Castrillón 1952
2) (from N-methylmescaline) **Mata & McLaughlin 1980c cited Spath 1921a CHECK THIS: Castrillón 1952 said that Spath prepared this from anhalinine**

Reported occurrences of O-Methylanhalidine:
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (0.00011% [± 0.00002] by fresh wt.) gc, gc-ms
Gymnocalycium carminanthum Borth & Koop
Štarha *et al.* 1998 (0.00007% [± 0.00002] by fresh wt.) gc, gc-ms

Gymnocalycium chubutense Speg.
Štarha *et al*. 1997 (Less than 0.0001% fresh wt.) gc, gc-ms
Gymnocalycium denudatum (L. & O.) Pfeiff.
Štarha *et al.* 1998 (0.00025% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al*. 1997 (Approximately 0.001% by fresh weight) gc, gc-ms
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al*. 1997 (Less than 0.0001% fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00007% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium nigriareolatum Backeberg
Štarha *et al.* 1998 (0.00012% [± 0.00006] by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al*. 1997 (Less than 0.0001% fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (0.00048% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium triacanthum Backeberg
Štarha *et al.* 1998 (0.00015% [± 0.00001] by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al*. 1997 (Less than 0.0001% fresh wt.) gc, gc-ms
Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.7% of total alkaloid fraction) gc-gc-ms. [Possible error. Štarha 1997 lists this as O-Methylanhalinine which we *assumed* is a typo (as a compound cannot exist with this name)]
Lophophora diffusa var. ***koehresii*** Øíha
Štarha & Kuchyna 1996 (0.07% [± 0.01] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.8% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996) [Possible error. *See* previous comment]
Lophophora fricii Habermann
Štarha 1997 (2.3% & 1.9% of total alkaloid fraction) gc-gc-ms. [Possible error. *See* previous comment] The 2 figures refer respectively to **GR 1086** & **PR 3293**
Lophophora jourdaniana Habermann
Štarha 1997 (0.8% of total alkaloid fraction) gc, gc-ms [Possible error. *See* previous comment]
Lophophora **sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (0.07% [± 0.01] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.9% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996) [Possible error. *See* previous comment]
Pelecyphora pseudopectinata Backeberg
Štarha *et al.* 1999a (1.92% [± 0.15] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus lophophoroides (Werd.) Buxb & Backbg.
Štarha *et al.* 1999a (0.55% [± 0.02] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (0.77% [± 0.04] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (2.76% [± 0.42] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Štarha *et al.* 1999a (1.42% [± 0.30] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus *var.* ***flaviflorus*** (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (2.89% [± 0.46] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (2.82% [± 0.41] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

? Tri-MeO-1-methyl-1,2,3,4-tetrahydro-isoquinoline

Isomeric identity unclear.

3,4-Dihydro-*ar*-trimethoxy-1-methylisoquinoline
(MIKES does not differentiate between isomers with regards to their aromatic substituents)

$C_{13}H_{17}NO_3$
MW 235.282 Southon & Buckingham 1989: Entry D-00296
MW 235 Roush *et al.* 1985

Reported from:
Pachycereus weberi
Roush *et al.* 1985 (ms-ms)

Tehuanine

1,2,3,4-Tetrahydro-5,6,7-trimethoxy-2-methylisoquinoline, 9CI; 2-Methyl-5,6,7-trimethoxy-1,2,3,4-tetrahydroisoquinoline; 5,6,7-Trimethoxy-2-methyl-THIQ

CA Reg. #: [30147-93-4] Southon & Buckingham 1989: Entry T-00151.

$C_{13}H_{19}NO_3$
MW 237.298 Southon & Buckingham 1989
MW 237 (MIKES) Roush *et al.* 1985

Free base is soluble in Chloroform and in Ethanol.
Mata & McLaughlin 1980c&d
Eluted from silica gel with Benzene-Chloroform; (3:17) [along with other bases]
Mata & McLaughlin 1980c

HCl:
mp 210º Mata & McLaughlin 1980d
mp 218-219 Mata & McLaughlin 1980d cited Mata & McLaughlin 1979 *Phytochemistry* (in press), which we have been unable to locate.
mp 219-221º & 219º (natural); 221º (synthetic) Mata & McLaughlin 1980c
mp 228-229º (synthetic) Hara *et al.* 1982
mp 229-230º Reference material provided by Kapadia to Hara.
Soluble in Water and in Chloroform.
Precipitated from Ethanol by the addition of Ether.
Mata & McLaughlin 1980d

Reported color reactions:
Iodoplatinic acid- Purple
Fluorescamine- No reaction
Mata & McLaughlin 1980c

uv, ir, nmr, ci-ms, ei-ms: Mata & McLaughlin 1980c
^{13}C-NMR: Mata *et al.* 1983

Isolation: Mata & McLaughlin 1980c & 1980d

Synthesis:
Mata & McLaughlin 1980c
s&b cited Bobbitt *et al.* (1965) J., Org Chem 20: 2247 (synth)
Hara *et al.* 1982
Kapadia *et al*. 1970 [From Mata & McLaughlin 1980c]

Reported from:
Pachycereus pringlei (S.Wats) Br. & R
Mata & McLaughlin 1980d (0.05% dry wt. as HCl; 15 mg from 30 gm) mp, ci-ms, ir, tlc
Pachycereus tehuantepecanus T.MacDougall & H.Bravo [Backeberg considered this species to be synonymous with *Pachycereus pecten-aboriginum*.]
Lundström 1983 & Mata & McLaughlin 1980d cited Weisenborn (personal communication 1978: Unpublished data).
Kapadia *et al.* 1970c mentions that J. Weisenborn (at Squibb) first presented this in a discussion during the 5th *Ann. Meeting of the American Society of Pharmacognosy* June 22-25, 1964 (Pittsburgh, PA) and that it was planned for publication submission.
Oddly there apparently was never any publication of the details concerning its isolation from this species nor concerning Weisenborn's structural determination.
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.105% & 0.1%: both dry wt.; as HCl) tlc, uv, ir, nmr, ei-me, ci-ms
Roush *et al.* 1985 (no quantification) ms-ms, tlc
Unger *et al.* 1980 Detected with MIKES

Tehuanine-N-oxide

CA Reg. #: [85769-25-1] Southon & Buckingham 1989: *See* in Entry T-00151.

$C_{13}H_{19}NO_4$
MW 253.297

Free base is soluble in Chloroform.
Insoluble in Petrol (30-60º)

Hydrochloride:
mp 185º (isol.)/ mp 186-187º (synth.) (Both from Ethanol-Ether) Pummangura *et al*. 1982b

IR, EI-MS, NMR: See Pummangura *et al*. 1982b

Synthesis (from Tehuanine): See Pummangura *et al*. 1982b

Reported from:
Pachycereus pringlei (S.Wats) Br. & R
Pummangura *et al*. 1982b (0.014% yield by dry wt.) tlc, mp, mmp, ir, nmr, ci-ms, ei-ms. [They also showed it to be of natural occurrence.]

s&b cited Bobbitt *et al.* (1965) J., Org Chem 20: 2247 (synth)
s&b cited Hara *et al.* 1982 Heterocycles 17: 293 (synth)

Anhalotine
(isolated as an iodide)

Anhalotine (Iodide); Anhalidine methiodide

CA Reg. #: as cation [19267-93-7]; as Iodide [19445-62-6]
Southon & Buckingham 1989: *See* in Entry T-00108.

$C_{13}H_{20}NO_3^+$ (ion) / $C_{13}H_{20}NO_3I$ (Iodide)
MW 365.0483 (Iodide) Menachery *et al.* 1986 #67.
MW 238.306 (ion)/ 365.210 (Iodide) Southon & Buckingham 1989

mp 219-220º (colorless crystals from Ethanol-Ethyl acetate) Kapadia *et al.* 1968.

UV and IR Kapadia *et al.* 1968

Chromophores reported for anhalotine:
Orange with modified Dragendorff
Violet with 0.1% aqueous tetrazotized *dl*-O-anisidine (TDA)
Kapadia *et al.* 1968

Occurs in *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1968 (0.0003% dry wt; 7 mg from 2.3 kg dried peyote)

6,7-Dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt

3,4-Dihydro-8-hydroxy-6,7-dimethoxyisoquinolinium inner salt

$C_{11}H_{13}NO_3$
MW 207.0892 Menachery *et al.* 1986 #73.
MW 207.229 Southon & Buckingham 1989 #D-00257

Free base: mp. 159-165º. Fujita *et al.* 1972

pKa_1 5.6 and pKa_2 10.9
[pKa 5.58 and 10.90 (at 330 mm), 5.64 and 10.95 (at 313 mm), 5.50 and 10.95 (at 404mm)]
Fujita *et al.* 1972

UV, IR, ^{1}H NMR and MS: Fujita 1972

Reported from *Lophophora williamsii*
Fujita *et al.* 1972 (30 mg. from 3.7 kg.) tlc, uv, ir, nmr, ms.

6,7-Dimethoxy-8-hydroxy-3,4-dihydroisoquinoline

3,4-Dihydro-8-hydroxy-6,7-dimethoxyisoquinoline; 3,4-Dihydro-6,7-dimethoxy-8-isoquinolinol, 9CI

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Fujita *et al.* 1972 (0.0008% fresh weight) mp, uv, ir, nmr, ms

1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline

3,4-Dihydro-8-hydroxy-6,7-dimethoxy-1-methylisoquinoline; 3,4-Dihydro-6,7-dimethoxy-1-methyl-8-isoquinolinol, 9CI
[Also appears listed as 3,4-Dihydro-8-hydroxy-6,7-dimethoxy-1-methyl-isoquinolinium inner salt; it is not an inner salt]

CA Reg. No.: [31241-40-4]

$C_{12}H_{15}NO_3$
MW 221.1048 Menachery *et al.* 1986 #92.
MW 221.255 Southon & Buckingham 1989 #D-00258

Free base:
mp. 173-175º (crystals from Benzene/Chloroform) Kapadia *et al.* 1970

pKa_1 6.7 and pKa_2 11.4
[pKa 6.74 and 11.40 (at 315 mm), 6.59 and 11.30 (at 386 mm)] Fujita *et al.* 1972

UV: Fujita 1972
^{1}H NMR and MS: Kapadia *et al.* 1970

Synthesis: Kapadia *et al.* 1970

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Fujita *et al.* 1972 (0.0001% fresh weight; 5 mg. from 3.7 kg.) tlc, UV

2-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt

3,4-Dihydro-8-hydroxy-6,7-dimethoxy-2-methylisoquinolinium inner salt;
N-Methyl-3,4-dihydro-8-hydroxy-6,7-dimethoxyisoquinolinium inner salt.

$C_{12}H_{15}NO_3$
MW 221.1048 Menachery *et al.* 1986 #74.
MW 221.255 Southon & Buckingham 1989 #D-00257

Free base:
mp. 95-104º. Fujita *et al.* 1972

pKa 6.0
[pKa 6.03 (at 330 mm), 5.96 (at 313 mm), 6.00 (at 404mm)]
Fujita *et al.* 1972

UV, IR, ^{1}H NMR and MS: Fujita 1972

Occurs in *Lophophora williamsii* as ***L. williamsii*** var. ***caespitosa***).
Fujita *et al.* 1972 (0.001%; 50 mg from 3.7 kg.) tlc, uv, ir, nmr, ms

S-(+)-Gigantine

1,2,3,4-Tetrahydro-6,7-dimethoxy-1,2-dimethyl-5-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-5-hydroxy-6,7-dimethoxy-1,2-dimethylisoquinoline; 5-Hydroxy-6,7-dimethoxy-1,2-dimethyl-THIQ; 5-Hydroxycarnegine

CA Reg. #: [32829-58-6] Southon & Buckingham 1989: Entry T-00101.

$C_{13}H_{19}NO_3$
MW 237.298 Southon & Buckingham 1989
MW 237.30 Merck 9th Entry 4252

C 65.80%, H 8.07%, N 5.90%, O 20.23%

Free base:
mp 151-152º Crystals from Ether
$[a]^{25}_D$ +27º (c, 1.99 in Chloroform) Southon & Buckingham 1989
Soluble in Ethanol, Chloroform.

HCl:
mp 150-152º Choudhury 1971
mp 151-152º **Brown *et al.* 1972 J. Org Chem 37: 1825**
mp 218-220º (optically inactive; from ether) Bruhn & Lundström 1976b
mp 221.5-222.5º (from Ethanol) **Brown *et al.* 1972 J. Org Chem 37: 1825]**
$[a]^{25}_D$ +27º (c = 1.99, $CHCl_3$)
Lundström 1983
$[a]^{25}_D$ +27.1º (c = 2, $CHCl_3$) **Merck 9th**

O-methyl ether:
(O-Methylgigantine)
brown oil
Picrate mp 153-154º Choudhury 1971

uv and cd: Cymerman Craig *et al.* 1977

Incorrect structure of 4-Hydroxy-6,7-dimethoxy-1,2-dimethyl-THIQ was proposed initially by **Hodgekins *et al.* 1967.** Their determination of the proposed structure, as presented in this paper, was later determined, by both the same workers and others, to have been **in error**.

Structure questioned: Brown *et al*. 1968.
Correct structure: Kapadia *et al*. 1970 & Brown *et al*. 1972

Isolation:
Hodgekins 1967

Synthesis:
Brown *et al.* 1972
Chowdury 1971
Kapadia *et al.* 1970c & 1970d

Suspected hallucinogen based on animal studies.
Claimed to be hallucinogenic in monkeys and cats at 5 mg./kg./ip.; Hodgkins *et al.* 1967.
Apparently lacking any human evaluation.

Fatal in monkeys and cats at 20 mg/kg/ip.
Hodgkins *et al.* 1967.

Reported from:
Carnegiea gigantea (Engelmann) Britton & Rose
Brown *et al.* 1968 Identified but only reported in substantial amounts during analysis of **wild** collected **adult** cacti and found to be higher in growing tips..
Brown *et al.* 1972b (25-30% of the total alkaloid content in the whole plant but 50% in the growing tip.)
Bruhn & Lundström 1976b (0.0016% fresh wt.) (244 mg (calc. as free base) isolated from 15 kilos of fresh material) tlc, gc, nmr, ir, ms.
Hodgekins *et al.* 1967 (30% of total alkaloid content)
Not reported in greenhouse grown plants (Bruhn & Lundström 1976b), nor in young plants grown outdoors in Arizona (Bruhn *et al.* 1970).
Kircher 1982 mentioned.
Concerning our math-work for **Bruhn & Lundström 1976b**: 15 kg of fresh cactus yielded 32 grams of alkaloids. 80% was nonphenolic and 20% was phenolic. When purifying these fractions they only used 1 gram of the nonphenolic and 0.5 grams of the phenolic fractions. The amounts listed in their account is what was obtained from these aliquots rather than totals.
The yields were calculated, by kt, as if they had used all of their product and then recalculated them in terms of their free bases (Alkaloids were obtained as the hydrochloride salts in all cases except for Arizonine)]

Isopellotine

1,2,3,4-Tetrahydro-7,8-dimethoxy-1,2-dimethyl-6-isoquinolinol, 9CI; 1,2,3,4-Tetrahydro-6-hydroxy-7,8-dimethoxy-1,2-dimethyl-isoquinoline; 6-Hydroxy-7,8-dimethoxy-1,2-dimethyl-THIQ

CA Reg. #: [37484-66-5] Southon & Buckingham 1989: *See* in Entry T-00106.

$C_{13}H_{19}NO_3$
MW 237.1360 Menachery *et al.* 1986 #77.
MW 237.298 Southon & Buckingham 1989

Free base:
mp. 131.5-132.5º (Benzene/Ether) Menachery *et al.* 1986 citing Brown *et al.* 1972b

Hydrochloride:
mp 212-222º Lundström 1972

^{1}H NMR and MS Menachery *et al.* 1986 cites Brown *et al.* 1972b [In MS Lundström 1972 reported m/e 237 (M+), m/e 222 (base peak]

Synthesis:
Brown *et al.* 1972b
and Lundström 1972

Alkaloid from *Lophophora williamsii*
Lundström 1971b (0.04%. i.e. 0.5% of 8% total alkaloid content) glc-ms
Lundström 1972 (detected) tlc, glc-ms

Pellotine
(Peyotline)

1,2-Dimethyl-6,7-dimethoxy-8-hydroxy-1,2,3,4-tetrahydroisoquinoline; 1,2,3,4-Tetrahydro-6,7-dimethoxy-1,2-dimethyl-8-isoquinolinol; 6,7-Dimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline-8-ol; 8-Hydroxy-6,7-dimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline; N-Methylanhalonidine; Pellotinu (Czech.).

WLN: T66 CNT&J B C HO1 IO1 JQ
Hayward: 6LMNMLLYRR(OM)R(OM)RQY
Usdin & Efron 1979: #907

CA Reg. #: [83-14-7] Southon & Buckingham 1989: Entry T-00102.
CA Reg. No.: 0000833147
[**Beilstein** ref. **B5^3, 2551**]

$C_{13}H_{19}NO_3$
MW 237.29 #6869 in Merck Ninth
MW 237.298 Southon & Buckingham 1989
MW 237.30 CRC
MW 237.1360 (as (±)-Pellotine) Menachery *et al.* 1986 #78.
MW 257 (MIKES) Roush *et al.* 1985

Free base:
mp 109-111º Brossi *et al.* 1964
mp 110º Heffter 1894b
mp 110-111.5º (crystals from Petroleum ether) Kapadia *et al.* 1968
mp 111-112º Lundström 1983, Brown *et al.* 1972b
Crystallizes from alcohol mp 111-112º Reti 1950
mp. 111.5º CRC
Plates from petroleum ether mp. 112º Merck Ninth
mp 116º (Synthetic racemic free base) Takido *et al.* 1970
Alkaline reaction.
Freely soluble in alcohol, acetone, benzene [from CRC], ether, chloroform
Sparingly soluble in water.
Merck Ninth
Base is slightly soluble in water.
Reti 1950
Free base is soluble in Chloroform.
(Eluted from silica gel with Benzene-Chloroform; 3:17 [along with other bases)
Mata & McLaughlin 1980c

Salts are bitter Reti 1950

Hydrochloride:
$C_{13}H_{19}NO_3$. HCl
mp 228-235º Fujita *et al.* 1972
mp 236-241º Bruhn & Holmstedt 1974
mp 240º Mata & McLaughlin 1980c
mp. 242-245º (experimental) 244-247º (mmp with racemic pellotine hydrochloride - mp. 250-251º) Neal *et al.* 1972
Colorless crystals. mp 243-244º (EtOH) Kapadia *et al.* 1968 and Neal *et al.* 1972
Colorless needles from small volume of Methanol-Chloroform-Ether using dry HCl gas. Takido *et al*. 1970
Prisms
Freely soluble in water.
Sparingly soluble in alcohol.
#6869 in Merck Ninth
Hydrochloride is highly soluble in chloroform. Agurell 1969 used acetic acid to acidify when defatting with chloroform to overcome this problem.

Pellotine acetate is **not** soluble in chloroform. Agurell 1969

Picrate
mp 163-166º Lundström 1972
mp 167-169º Reti 1950
mp167-169º Lundström 1983 and Kapadia *et al.* 1968

Chloroaurate 147-148º Reti 1950

Hydriodide:
$C_{13}H_{19}NO_3$. HI
mp 125-130º Reti 1950
mp 125-130º Menachery *et al.* 1986 cites Reti 1954
Prisms mp 130º
Soluble in water and alcohol.
Almost insoluble in ether.
#6869 in Merck Ninth

Methiodide:
mp 169-171º (isolated from *L. diffusa*) Bruhn & Holmstedt 1974 cites Späth 1922 as reporting 167-169º
mp 199º (Reti 1950 was cited by Menachery *et al.* 1986
[Shows plant growth inhibition activity. Phytotoxic at higher levels. Mandava *et al.* 1981]

Heffter 1898a found doses of 5-10 mg caused temporary convulsions in frogs and the same effects were observed in dogs and cats.
Joachimoglu & Keeser 1924 cited several authors who believed it could be used in man as a relatively safe narcotic.
Reti 1950

Pharmacological study in animals: *See* Clerc *et al.* 1935

"Peyotline"
Hypnotic agent.
8-10 mg. causes tetanic-like convulsions in frogs.
50 mg (s.c.) in humans produce drowsiness and a disinclination for physical and mental effort.
It produces bradycardia and is hypotensive.
Anderson 1980 cited Kloesel 1958 (p. 312); Chopra 1960 (p. 42), and Heffter 1894a

Reported by Jolly 1896 to produce uneventful sleep in patients with a 50 mg. dosage.
With total dosages of 240 mg. there were no indications of sensory distortions. [Heffter 1898a]
There was a dizziness and generalized tiredness that undergoes a gentle transition into sleep.
The latter dosage is greater than would be taken in a dose of peyote but the 50 mg. dosage is possible to ingest in a dose of peyote.
At low levels in man (15-30 mg.) there was a calming effect, without overt hypnosis [Jolly 1896]
Shulgin 1973 page 50.

Jaensch 1920 observed some of his eidetic volunteers growing very tired after taking 1 to 2 grams of dried peyote. [Bruhn & Holmstedt got this from Beringer 1927] Bruhn & Holmstedt noted that 40 to 80 mg could be obtained from this amount if it was *L. diffusa.*
Jolly 1896a and 1896b reported that 40 to 80 mg produced sleep
Vertigo and nausea seems to be the most pronounced effects according to Jolly 1896b and Hutchings 1897
Heffter found a dose of 240 mg did not produce hallucinations [Heffter 1898a]
Robles & Gomez Robleda 1931 using doses of up to 300 mg observed disorientation and reported hallucinations were experienced by their subjects.
While Brossi *et al.* 1966 found it hardly active as an anticonvulsant and tranquilizer in animals they added that hallucinogenic effects could not be excluded.
Bruhn & Holmstedt 1974

Pharmacological study in dogs:
1 to 5 mg/kg of the hydrochloride was found to be an active dose. Clerc *et al.* 1935

Shows some plant growth inhibition activity (as HCl). Mandava *et al.* 1981

UV Brossi *et al.* 1964 and Kapadia *et al.* 1968
IR Brossi *et al.* 1964, Fujita 1972 and Kapadia *et al.* 1968
Fujita 1972
^{1}H NMR Brossi *et al.* 1964, Fujita 1972 and Kapadia *et al.* 1968
Menachery *et al.* 1986

Due to its rapid and facile racemization it was uncertain whether it existed in the plant in an optically active form. It was finally demonstrated to exist in peyote in the (–) form by Cymerman Craig and coworkers in 1977
UV and CD: Cymerman Craig *et al.* 1977

Microchemical reactions: Bolland 1911

Chromophores reported with tlc reagents:
Violet with 0.1% aqueous tetrazotized dl-O-anisidine (TDA)
Kapadia *et al.* 1968
O-Dianisidine reagent - Purple (i.e. equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water)
Lundström & Agurell 1967
[Blood] Red chromophore with tetrazotized benzidine.
Neal *et al.* 1972 & Mata & McLaughlin 1980c

Isolated by Heffter (0.89% by fresh wt.) from *A. williamsii* in 1894
Later by Kauder from *A. lewinii* in 1899.
Heffter felt Kauder's material was contaminated by *A. williamsii*
Heffter's opinion was shared by Lewin.

Second alkaloid reported to be isolated from Peyote (This was the first pure alkaloid) Heffter 1894a. Arthur Heffter named the alkaloid pellotin (Pellotine).
Anderson 1980
[*See* also Heffter 1896b

Heffter found 0.75-0.89% in fresh plants.
Bruhn & Holmstedt 1974
1.4-1.5% pellotine (peyotline) in dried peyote buttons.
Ott 1993

Structure: Späth *et al.* 1932

Synthesis:
Brown *et al.* 1972b.
Brossi *et al.* 1964 & 1966
Lundström 1972 (from N-Me-3-OH-4,5-diMeO-PEA)
Späth 1922
Späth & Becke 1934a
Takido *et al.* 1970
Späth & Kesztler 1936 synthesized the optically active forms and studied to see if plant contains the optically inactive form or if racemized during manipulation or aging. They found it readily undergoes racemization and concluded optically active pellotine was in the plant. [Reti 1950] This thought remained until (–)Pellotine was finally proven to exist in the plant in Cymerman Craig *et al.* 1977

Biosynthetic studies:
Battersby *et al.* 1967 [From Merck Index]
Khanna *et al.* 1970
Battersby *et al.* 1968 Tetrahedron Letters 6111

Pellotine has been reported from:
Aztekium ritteri Böd.
Štarha 1994 (0.0026% by fresh wt.) gc-ms
Gymnocalycium albispinum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium baldianum Speg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium bayrianum Till.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium boszingianum Schütz
Štarha 1996 (Approx. 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium calochlorum Ito
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium cardenansianum Ritter
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium comarapense Backeberg
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium curvispinum Friè
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium delaetii Backbg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium horridispinum Frank
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (0.00012% [± 0.00003] by fresh wt.) gc, gc-ms
Gymnocalycium netrelianum Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii Werd.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium ragonesii Cast.
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium riograndense Cardeñas
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Štarha 1995a ("*readily apparent*" at around 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium tillianum Rausch
Štarha 1995a (Between 0.0001-0.001% by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buining
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Islaya minor Backberg (T.)
Doetsch *et al.* 1980 (no quantification) tlc.
Lophophora diffusa (Croizat) H.Bravo
(Main alkaloid. Over 90% according to Bruhn & Holmstedt 1974 reporting 0.9% total alkaloid. tlc, gc, isolation & mp of HCl)
Heffter 1894b (0.75-0.89% fresh wt)
Heffter 1898b (0.75-0.89% by weight in **fresh** plants) [Referred to plants as *Anhalonium williamsii*.]
Todd 1969 (Major alkaloid: equal in tops and roots) tlc
Bruhn & Holmstedt 1974 (Found to be the major alkaloid. Over 90% of the phenolic fraction) gc.
Habermann 1977, 1978a & 1978b (from Anderson 1980 & Štarha *nd*) (Major base) oscillographic polarography
Habermann 1978a (from Štarha 1997) 2.105% (± 0.108) oscillographic polarography
Štarha 1997 (86.2% of total alkaloid fraction) gc-gc-ms.
Lophophora diffusa var. ***koehresii*** Øíha
Štarha & Kuchyna 1996 (88.39% [± 2.12] of the total alkaloid content) gc, gc-ms
Štarha 1997 (88.4% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)

Lophophora fricii Habermann
Habermann 1978a (From Štarha *n.d.*) (Major) oscillographic polarography; Anderson 1980 cited Habermann 1977 & Habermann 1978a
Štarha 1997 citing Habermann 1978a (1.819% (± 0.212) oscillographic polarography
Štarha 1997 (65.2% & 65.5% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293]**
Lophophora jourdaniana Habermann
Habermann 1978a (From Štarha *n.d.*) (Minor) oscillographic polarography: Anderson 1980 cited Habermann 1977 & Habermann 1978a.
Habermann 1978a (from Štarha 1997) [0.710% (± 0.089)] oscillographic polarography.
Štarha 1997 (17.8% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (76.28% [± 1.92] of the total alkaloid content) gc, gc-ms
Štarha 1997 (76.3% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii (Lemaire) Coulter
(18% of total alkaloid according to Bruhn & Holmstedt 1974; 17% of total according to Lundström 1971b.)
Kauder 1899. [Unclear whether Kauder actually found this in *L. williamsii* or whether his sample of *Anhalonium lewinii* (*L. williamsii*) was contaminated with specimens of *A. williamsii* (*L. diffusa*) as Heffter proposed.]
Lundström 1983
Kapadia *et al.* 1968 Isolated 2.37 gm of racemic pellotine from 2.3 kg dried peyote
Todd 1969 (equal in tops and roots) tlc
[Also in Habermann 1974a, 1978a & 1978b (%?) (from Štarha *nd*)]
[As ***Lophophora williamsii*** var. ***caespitosa*** Y.Ito n.n.]
Fujita 1972 (0.01% by fresh wt) glc, uv, ir, nmr. &
Habermann 1978a (0.300% (± 0.095) dry wt) oscillographic polarography (from Štarha 1997)
[As ***Lophophora williamsii*** var. ***decipiens*** Croizat]
Habermann 1978a (0.288% (± 0.066) dry wt) oscillographic polarography (from Štarha 1997)
[As ***Lophophora williamsii*** var. ***pentagona*** Croizat]
Habermann 1978a (0.296% (± 0.065) dry wt) oscillographic polarography (from Štarha 1997)
[As ***Lophophora williamsii*** var. ***typica***]
Habermann 1978a (0.296% (± 0.065) dry wt.) oscillographic polarography. (from Štarha 1997)
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.0005% dry wt. as HCl; 10 mg from 2.1 kg) tlc, ir
Roush *et al.* 1985 (no quantification) ms-ms, tlc.
Pelecyphora aselliformis Ehrenberg
Bruhn & Bruhn 1973. (trace) tlc, gc, glc-ms
Neal *et al.* 1972 (0.000009% by dry weight) mp, mmp, tlc, ms.
Štarha 1994 (Less than 0.0001% by fresh wt.) gc-ms
Trichocereus pachanoi Britton & Rose
This species was listed as containing this alkaloid,citing Lundström 1970, this is apparently **in error** as we cannot locate this in the article.
Turbinicarpus alonsoi Glass & Arias
Štarha *et al.* 1999b (0.0075 ± 0.0009% dry wt) gc, gc-ms
Turbinicarpus lophophoroides (Werd.) Buxb & Backbg
Štarha *et al.* 1999a (0.46% [± 0.08] of total alkaloid fraction of over 500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus pseudomacrochele var. ***krainzianus*** (Frank) Glass & Foster
Štarha *et al.* 1999a (0.36% [± 0.08] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus (Böd.) Buxbaum & Backeberg
Štarha *et al.* 1999a (9.02% [± 0.06] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***dickisoniae*** Glass & Foster
Štarha *et al.* 1999a (19.33% [± 0.28] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus *var.* ***flaviflorus*** (Frank & Lau) Glass & Foster
Štarha *et al.* 1999a (0.15% [± 0.07] of total alkaloid fraction of 100-250 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms
Turbinicarpus schmiedickeanus var. ***schwarzii*** (Shurly) Glass & Foster
Štarha *et al.* 1999a (0.41% [± 0.11] of total alkaloid fraction of 250-500 mg total alkaloids per 100 gm of fresh plant) gc, gc-ms

O-Methylpellotine

1,2-Dimethyl-6,7,8-trimethoxytetrahydroisoquinoline; 6,7,8-Trimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline; pellotine methyl ester.

CA Reg. #: [4973-61-9] Southon & Buckingham 1989: *See* in Entry T-00102.

$C_{14}H_{21}NO_3$
MW 251.1516 Menachery *et al.* 1986 #83
MW 251 (MIKES) Roush *et al.* 1985

MS: Bruhn & Agurell 1975
CI and EI mass spectra: Fales *et al.* 1969

First reported by Bruhn & Agurell 1975 (They found it in low concentration in the nonphenolic fraction obtained from ***Lophophora diffusa***.) Purified by preparative tlc but unable to isolate due to low amount present. Identified by tlc, gc and gc-ms.

O-Methylpellotine methiodide mp 226-227º Reti 1950
[The methiodide shows some plant growth inhibition activity. Phytotoxic at higher levels. Mandava *et al.* 1981]

Synthesis of pellotine methyl ester was reported by Nakada & Nashihara 1944

O-Methyl-pellotine has been reported from:
Lophophora diffusa (Croizat) H.Bravo
Bruhn & Agurell 1975 (trace) tlc, gc, gc-ms.
[Bruhn *et al*. 1975 in the literature meant Bruhn & Agurell 1975]
Lophophora diffusa var. ***koehresii*** Øíha
Štarha & Kuchyna 1996 (Trace of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (Trace of the total alkaloid content) gc, gc-ms
Lophophora williamsii
May be **erroneous**, Unable to locate any substantiating source
Menachery *et al.* 1986 (in entry #83) cites Mata & McLaughlin 1982 who include it but they also use a generic reference list for peyote with almost as many entries as peyote has alkaloids. Despite going through their list, we have not yet found which, *if any*, of their entries claim to have located this compound in *L. williamsii*. We have seen no other claim indicating its presence in this species, and suspect this is **in error**. [Unless of course one counts Grym's recent reassignment of *L. diffusa* as a variety of *L. williamsii* or var. *koehresii* as *L. williamsii* var *koehresii* (Øíha) Grym. (Obviously Mata & McLaughlin did not have access to Grym's work in 1982)]
Pachycereus weberi (Coulter) Backeberg
Unger *et al.* 1980 (Reported) MIKES
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Lophophorine

N-Methyl-*l*-anhalonine; N-Methylanhalonine; 5-Methylanhalonine (Penick); S-(–)-Lophophorine (natural compound); (S)-6,7,8,9-Tetrahydro-4-methoxy-8,9-dimethyl-1,3-dioxolo[4,5-h]isoquinoline; 6-Methoxy-7,8-methylenedioxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline;1,2,3,4-Tetrahydro-6-methoxy-1,2-dimethyl-7,8-methylenedioxyisoquinoline.

WLN: T B566 CO EO LN DH&&TJ GO1 L M
Hayward: 6LMNMLLYRR(OM)Y5OLOYY
Usdin & Efron 1979: #826

CA Reg. Number: 17627-78-0]
NIOSH # JI 4800000
Southon & Buckingham 1989 in entry A-00541

$C_{13}H_{17}NO_3$
MW 235.1204 Usdin & Efron 1979
MW 235.27 #5405 in Merck 9th
MW 235.282 Southon & Buckingham 1989

Free base:
Oily colorless basic liquid Lundström 1983, Kapadia *et al.* 1968, LaBarre 1975, Merck Ninth, Reti 1950, Southon & Buckingham 1989
bp 140-145º/ 0.05 mm Kapadia *et al.* 1968 cites Lundström 1983
$bp_{0.02}$ 140-145º (air bath temperature) Merck 9th
$bp_{0.05}$ 140-145º Southon & Buckingham 1989
$[a]^{27}_{D}$ –9.47º Reti 1950
$[a]^{25}_{589}$ –45º, $[a]^{25}_{436}$ –83.7º (c=0.82, $CHCl_3$) Menachery *et al.* 1986
$[a]^{25}_{589}$ –47º (c=1, $CHCl_3$) Späth & Gangl 1923
$[a]^{25}_{D}$ –47º (c=1 in chloroform)
Soluble in ether and chloroform.
Merck 9th
$[a]^{25}_{D}$ –47.3º ($CHCl_3$) **Brossi *et al.* 1964 Helv. Chim Acta 47: 2089 CHECK THIS**

Hydrochloride
$C_{13}H_{17}NO_3$. HCl
Needles from alcohol. Merck Ninth.
mp 233-235.5º (Ethanol) Kapadia *et al.* 1968
and Kapadia & **Fales 1968**
mp 236-237º (Ethanol) Menachery *et al.* 1986 & Lundström 1983 cited Brossi *et al.* 1971
$[a]^{25}_{D}$ –15.6 (c, 1 in H_2O) Southon & Buckingham 1989
$[a]^{25}_{589}$ –13.6 (c=0.59, H_2O) Kapadia & Fales 1968
$[a]^{25}_{589}$ –9.47º (c=1, H_2O) Späth & Kesztler 1935
$[a]^{17}_{D}$ –9.5º (c=1)
Soluble in water and alcohol. Merck 9th

Picrate
mp 162-163º **Spath & Becke 1935 Mon. Chem 66: 327 CHECK**
mp 162-163º Merck 9th and Reti 1950
mp 162-163º Menachery *et al.* 1986 cited Lundström 1983
mp 162-164º Kapadia *et al.* 1968

Picrate of quaternary compound 211-212º
Reti 1950

Methiodide mp 223º Reti 1950 and Menachery *et al.* 1986 citing Reti 1950

$[a]^{26}_{589}$ –62º citing Kapadia *et al.* 1968
$[a]^{26}_{436}$ –111º citing Kapadia *et al.* 1968
$[a]^{26}_{350}$ –187º (c 0.78, $CHCl_3$) citing Kapadia *et al.* 1968
$[a]^{25}_{D}$ –46.8º (c 5, $CHCl_3$) citing Brossi *et al.* 1971 and Reti 1950
(HCl) –15.6º (c 1, H_2O) citing Brossi *et al.* 1971
–16.3º (c 4, H_2O) citing Späth & Kesztler 1935
Menachery *et al.* 1986

LD_{50} 15-20 mg/kg/iv/rabbit. Southon & Buckingham 1989

Reported toxicity is based entirely on animal studies.
Methylene dioxy analog of pellotine
Oral dosages of 20 mg. in man [Heffter 1898a] led to a distinct vasodilation and immediate accompanying headache and a warm flushed feeling. These responses were lost within the hour.
Levels in peyote vary widely.
Shulgin 1973 page 50.

Toxic.
Respiratory stimulant & convulsive agent. Southon & Buckingham 1989

"*Lophophorine is highly toxic and produces strychnine-like convulsions at 12 mg./kg. doses but it produces nausea in human being at much lower doses*"
Ott 1993 citing Anderson 1980

Heffter 1898a found it to be the most toxic base of *A. lewinii* which he examined.
0.25-1 mg of hydrochloride injected into a frog produced a long lasting tetany.
The animal recovers but increased excitability may last for several days.
There was no action on the isolated frog heart.
[T.A. Henry gives lethal dose as 11 mg per kg given as hydrochloride to frogs.]
7 mg/kg of lophophorine in rabbits produces hyperexcitability and accelerated respiration, 12.5 mg/kg produces tetany and 15-20 mg/ kg is the lethal dose.
IV injection of 2.5 mg causes as increase in blood pressure, larger doses produce a fall in blood pressure. There is no direct action on the heart.
Reti 1950
Toxic alkaloid with action somewhat similar to strychnine (i.e. it can cause tetany/convulsion).
12 mg./kg. in Rabbits causes violent tetanic convulsions.
Small doses in man cause a sickening feeling in the back of the head, a small decrease in pulse rate and hotness and blushing in the face.
Anderson 1980
See Kloesel 1958 (p. 312), Chopra *et al.* 1960 (p. 42), and Heffter 1894a (pp. 78-79)

UV, IR, ^{1}H NMR: Kapadia *et al.* 1968
MS, ORD and CD: Menachery *et al.* 1986 cites Brossi *et al.* 1971
^{13}C NMR: Mata *et al.* 1983

UV and CD: Cymerman Craig *et al.* 1977

Heffter reported from peyote (at 0.5%). [One of 3 alkaloids isolated and named by Heffter. Results and pharmacology published in Heffter 1898a.

40 mg was isolated from 1.3 kg. of peyote by Späth & Becke 1935c
6.47 grams as Lophophorine HCl from 2.3 kg. by Kapadia *et al.* 1968
0.4% lophophorine in dried peyote buttons. Ott 1993

Kapadia isolated via a different technique. Späth & Becke isolated after other alkaloids had been separated.
See also
Heffter 1896a
Tomaso 1934
[Kapadia *et al.* notes there were losses in these isolations.]

Extraction procedure from *L. williamsii*. Merck 9th cites Kauder 1899

Synthesis:
Späth & Kesztler 1935
Menachery *et al.* 1986 #85 cites Brossi *et al.* 1971

Structure: Merck 9th cites Späth & Gangl 1923
Absolute configuration of (–)-Lophophorine. Battersby & Edwards 1960

Chromophores with tlc visualization reagents:
Fluorescamine (under UV) - No reaction
Dansyl-chloride overspray overspray (under UV) - No reaction
Iodoplatinate overspray overspray (visible) - Purple
Ranieri & McLaughlin 1975
O-Dianisidine reagent (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water) - Blue-gray
Lundström & Agurell 1967

Lophophorine has been reported from:
Gymnocalycium albispinum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium asterium Ito
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium baldianum Speg.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium bayrianum Till.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium boszingianum Schütz
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium chubutense Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium gibbosum (Haw.) Pfeiff.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Herrero-Ducloux 1930b apparently isolated small amounts of alkaloids and identified one as mescaline based on mp & chemical tests. The primary paper is currently unavailable to us. Cited by both of the following:
Reti 1950 says Herrero-Ducloux found"*reactions similar to*"
Mata & McLaughlin 1982
Gymnocalycium leeanum
Herrero-Ducloux 1930b Same comment as under *G. gibbosum*.
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii (Vaupel) Werdermann
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.

Gymnocalycium quehlianum (Haage) Berger
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Card.
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione (Cels) Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii (Weber) Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buining
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms.
Todd 1969 (equal in tops and roots) tlc
Lophophora diffusa* var. *koehresii Øíha
Štarha & Kuchyna 1996 (Trace of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms. (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (0.1% & 0.1% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (1.4% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp.** var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (0.08% [± 0.02] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii
Heffter 1898b (0.5%) mp
Lundström 1971b (0.4% dry wt., i.e. 5% of 8% total alkaloid content) glc-ms
Kapadia *et al.* 1968
Späth & Becke 1935c [40 mg from 1.3 kg.]
Todd 1969 (equal in tops and roots in San Luis Potosí population / greater in tops than roots in Coahuila population. Appeared to be major alkaloid in summer sample.) tlc.
Fujita *et al.* 1972 (detected) tlc, gc. (as ***Lophophora williamsii* var. *caespitosa* Y.Ito n.n.**)

N-Acetyl-anhalonine

$C_{14}H_{17}NO_4$

mp 151.5-153º

$[a]^{25}_{589}$ +206

Reported in *Lophophora williamsii*
Kapadia & Fales 1968

(–)-1-Hydroxymethyl-2-methyl-5-hydroxy-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline

$C_{13}H_{19}NO_4$

Free base was obtained as dark brown residue
Freely soluble in Chloroform & in Ethanol (Mohamed *et al*. 1979) and in Ether (Pummangura *et al*. 1982).
Insoluble in water

HCl:
mp 247-248º (white crystals from Ethanol)
Precipitated from absolute Ethanol by the dropwise addition of 5% HCl in absolute Ethanol

Picrate:
mp 195-196º

Monoacetate hydrochloride:
mp 192-193º

$[a]^{26}_{D}$ –1.04º (2.20%, H_2O)

UV, IR, NMR: See Mohamed *et al.* 1979

Reagents:
Iodine vapor, Iodoplatinate, Dragendorff's reagent & Tetrazotized benzidine were used successfully to visualize in tlc.
Tetrazotized benzidine gave an orange-red color.
Fluorescamine gave no reaction.
Silicotungstic acid gave characteristic precipitate.
Molish test was negative.
Mohamed *et al.* 1979

Reported as acid hydrolysis product of Pterocereine.

No significant cytotoxicity in 9 KB system (ED_{50}>16 mg/ml)

The above was from Mohamed *et al*. 1979 unless noted otherwise.

Reported from:
Pterocereus* (?) *gaumeri (Britton & Rose) Th.MacDougall & F.Miranda
Mohamed *et al.* 1979 (yield of 0.164% dry wt) tlc, mp, uv, ms
Appears to at least partially be an extraction artifact.
Dingerdissen & McLaughlin 1973 JPharmSci 62: 1663 to be certain an acid was involved in the defatting prior to the isolation of the alkaloid fraction

Deglucopterocereine-N-oxide

(–)-1-Hydroxymethyl-2-methyl-5-hydroxy-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline

$C_{13}H_{19}NO_5$

C, 57.78%; H, 7.04%; N, 5.18% (calc.)
C, 57.44%; H, 7.42%; N, 5.18% (exp.)

Free base
mp 210º (isolated) / mp 210-213º (synthetic) (Both from Ethanol-Ether)
Soluble in Ethanol and in Chloroform

Reagents:
Tetrazotized benzidine: Blood-red

NMR, IR, CI-MS, EI-MS See Pummangura *et al*. 1982b

Synthesis (from Deglucopterocereine) See Pummangura *et al*. 1982b
Reduction with activated zinc dust generated Deglucopterocereine

Reported from:
Pterocereus* (?) *gaumeri (Britton & Rose) Th.MacDougall & F.Miranda
Pummangura *et al*. 1982b (0.038% yield by dry wt.) tlc, mp, mmp, ir, nmr, ci-ms, ei-ms. [They also showed it to be of natural occurrence.]
[Also cited was Pummangura *et al.* 1983 *Phytochem in press* but this is apparently incorrect.]

Pterocereine

(–)-1-Hydroxymethyl-2-methyl-5-b-*O*-glucosyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline (–)-1-Hydroxymethyl-2-methyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-5-b-*O*-glucopyranoside
[A unique glucosylated cactus THIQ]

$C_{19}H_{29}NO_9$
MW 415 (MS)

Free base
mp 198-199º (After repeated crystallization. Originally obtained from a concentrated Ethanol solution)

$[a]^{26}_D$ –4.51º (1.35%, H_2O)

UV, MS, IR, NMR See Mohamed *et al.* 1979

Reagents:
Iodine vapor (immediate), Dragendorff's (immediate), Iodoplatinate (slowly) were successfully used in tlc.
There was no reaction with Tetrazotized benzidine, Fluorescamine or Dansyl chloride.
Molish's test gave a strong response. (They determined that glucose was the glycoside by hydrolysis with b-glycosidase followed by the use of sugar specific reagents and known reference materials)
Treatment with dilute HCl or H_2SO_4 on tlc plate with heating, hydrolyzed this compound to deglucopterocereine which reacts orange-red with Tetrazotized benzidine.

No significant cytotoxicity in the 9 KB assay. (ED_{50}>100 mg/ml)

Reported from:
Pterocereus* (?) *gaumeri (Britton & Rose) Th.MacDougall & F.Miranda
Mohamed *et al.* 1979 (0.062% by dry wt) chemical tests, nmr, uv, ms
[This was given by MacDougall & Miranda as a provisional name.
Britton & Rose did similarly with its predecessor ***Pachycereus* (?) *gaumeri***

1,2-Dimethyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt

3,4-Dihydro-8-hydroxy-6,7-dimethoxy-1,2-dimethylisoquinolinium inner salt; 3,4-Dihydro-6,7-dimethoxy-8-hydroxy-1,2-dimethyl-isoquinolinium inner salt

$C_{13}H_{17}NO_3$
MW 235.1204 Menachery *et al.* 1986 #93.)
MW 235.282 Southon & Buckingham 1989 #D-00256

pKa 6.5
[pKa 6.54 (at 315 mm), 6.50 (at 388 mm)]
Fujita *et al.* 1972

UV: Fujita 1972

Reported from *Lophophora williamsii* var. *caespitosa* (Lemaire) Coulter
Fujita *et al.* 1972 (0.00008% fresh wt: 3 mg from 3.7 kg.) tlc, UV

Peyotine

(isolated as iodide)
(Pellotine methiodide)

CA Reg. #: [25526-36-7] Southon & Buckingham 1989: *See* in Entry T-00102.

$C_{14}H_{22}NO_3I$
MW 379.0639 Menachery *et al.* 1986 #79.

Free base:
mp 185-186º (H_2O) (softened at 114º then resolidified) Kapadia *et al.* 1968 (same figure given by Anderson 1980

Synthetic *dl*-pellotine methiodide mp 200-201º (EtOH/EtOAc) Kapadia *et al.* 1968

UV: Kapadia *et al.* 1968
IR: Kapadia *et al.* 1968

Alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1968 (0.00015% dry wt., i.e. 3.5 mg from 2.3 kg dried peyote) tlc, mp, uv, ir

Peyoxylic acid

1,2,3,4-Tetrahydro-8-hydroxy-6,7-dimethoxy-1-isoquinolinecarboxylic acid

CHECK zzz STRUCTURE Also Add to tabular summary

CA Reg. #: [29193-99-5] Southon & Buckingham 1989: Entry T-00105.

$C_{12}H_{15}NO_5$
MW 253.0946 Menachery *et al.* 1986 #90

mp 237-238º (dec.) (Methanol-Acetone) Kapadia *et al.* 1970

IR and ^{1}H NMR: Kapadia *et al.* 1970

Synthesis: Kapadia *et al.* 1970

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1970

Peyoruvic acid

1,2,3,4-Tetrahydro-8-hydroxy-6,7-dimethoxy-1-methyl-1-isoquinolinecarboxylic acid, 9CI

CA Reg # [29194-00-1]
Southon & Buckingham 1989 #P-00159

$C_{13}H_{17}NO_5$
MW 267.281 Southon & Buckingham 1989
MW 267.1102 Menachery *et al.* 1986 #94

Free base:
Needles (Synthetic ± form; from methanol) mp 233-234º dec. Southon & Buckingham 1989
mp 233-234º Anderson 1980
mp 233-234º (dec.) Menachery *et al.* 1986 cites Kapadia *et al.* 1970

IR and ^{1}H NMR: Kapadia *et al.* 1970

Synthesis: Kapadia *et al.* 1970

Found in *Lophophora williamsii* (Lemaire) Coulter **(trace) (gc-ms)**
Kapadia *et al.* 1970 (trace) isol, ir, pmr, ms, synth, struct.
Kapadia *et al.* 1973 (deriv.)

O-Methylpeyoxylic acid

1,2,3,4-Tetrahydro-6,7,8-trimethoxy-1-isoquinolinecarboxylic acid, 9CI;
Peyoxylic acid methyl ether

CA Reg. #: [41303-73-5] Southon & Buckingham 1989: *See* in Entry T-00105.

$C_{13}H_{17}NO_3$
MW 267.1102 Menachery *et al.* 1986 #91

Free base: mp 238-240º (dec.). Kapadia *et al.* 1973

^{1}H NMR and MS: Kapadia *et al.* 1973

Synthesis:
(Two routes presented including a one step reaction with a 10% yield resulting from refluxing mescaline hydrochloride with n-butyl glyoxylate. Saponification of co-produced n-butyl ester gave combined yield of 45%.)
Kapadia *et al.* 1973

Trace alkaloid from *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1973 (tlc, gc-ms of TMS derivative)

O-Methylpeyoruvic acid

1,2,3,4-Tetrahydro-6,7,8-trimethoxy-1-methyl-1-isoquinolinecarboxylic acid, 9CI;
Peyoruvic acid methyl ether

CA Reg # [41303-72-4]
Southon & Buckingham 1989 #P-00159

$C_{14}H_{19}NO_5$
MW 281.308 Southon & Buckingham 1989
MW 281.1258 Menachery *et al.* 1986 #95

Free base:
mp 245-246º (dec.) Kapadia *et al.* 1973

NMR and MS: Kapadia *et al.* 1973

Synthesis (Two routes presented, including a one step synthesis with a 51% yield from refluxing mescaline hydrochloride with aqueous methyl pyruvate.)
Kapadia *et al.* 1973

Found in *Lophophora williamsii* (Lemaire) Coulter
Kapadia *et al.* 1970 (trace) isol, ir, pmr, ms, synth, struct.
Kapadia *et al.* 1973 (trace) tlc, gc-ms of TMS derivative.

Mescalotam

Peyoglutam methyl ether

6	7	8	1&2
MeO	MeO	MeO	$–CH_2$-CH_2-C(O)–

Southon & Buckingham 1989 in entry #P-00157

$C_{15}H_{19}NO_4$
MW 277. 193 Southon & Buckingham 1989

C 15 H 19 N O4 [ref Kapadia & Fales 1968]

Reported from:
Lophophora williamsii
Lundström 1983

Anhalonine

6,7,8,9-Tetrahydro-4-methoxy-9-methyl-1,3-dioxolo[4,5-h] isoquinoline; 1-Methyl-6-methoxy-7,8-methylenedioxy-1,2,3,4-tetrahydroisoquinoline (Penick); S-(–)-Anhalonine.
[Methylene dioxy ether analog of anhalonidine and the N-Demethyl homolog of pellotine]

WLN: T B566 CO EO LM DH&&TJ GO1 M
Hayward: LMNHLLYRR(OM)Y5OLOYY
#675 in Usdin & Efron 1979

$C_{12}H_{15}NO_3$
MW 221.1048 #84 Menachery *et al.* 1986
MW 221.16 #761 in CRC 1980-1981. [**Beilstein ref B22[4], 284**]
MW 221.25 #688 Merck Ninth

Free base:
mp 83-84º (Ether/Petroleum ether) Menachery *et al.* 1986 #84 cites Brossi *et al.* 1971
mp 83-85º Späth & Becke 1935a
mp 84-85º (from Petroleum ether 30-60º) Kapadia *et al.* 1968
mp. 85.5º Heffter 1896a, ANDERSON 1980 and Menachery *et al.* 1986 #84
Needles (light petroleum) mp 85.5º
Reti 1950
Rhombic needles from petroleum ether mp 86º
$bp_{0.02}$ 140º #688 Merck Ninth
Needles (petroleum ether) bp $140^{0.02}$
$[a]^{25}_D$ –56.3 (chloroform, c=4) Merck Ninth
$[a]^{25}_D$ –63.8º (methanol) Merck Ninth
$[a]^{25}_D$ –56.3º (chloroform)
Reti 1950
$[a]^{23}_D$ –40.5 (alcohol) CRC 1980-1981.
$[a]^{26}_{589}$ –62º Kapadia *et al.* 1968
$[a]^{25}_D$ –56º (c 2.7, $CHCl_3$) **Späth & Kesztler, F. 1935 Chem Ber. 68: 1663. CHECK**
$[a]^{26}_{436}$ –111º Kapadia *et al.* 1968

[*l*-anhalonine mp 85-86º $[a]^{25}_D$ –56.3 (chloroform)
d-anhalonine mp 84.5-85.5º $[a]^{25}_D$ +56.7º]
Reti 1950
Soluble in water, alcohol, ether and petroleum ether.
#761 in CRC 1980-1981. [**Beilstein ref B27[2], 542**]
Very soluble in alcohol, ether, chloroform, benzene and petroleum ether. Entry #688 Merck Ninth

Hydrochloride:
$C_{12}H_{15}NO_3$. HCl
MW 257.22
Rhombic prisms. mp 254-255º dec.
#762 in CRC 1980-1981. [**Beilstein ref B22[4], 284**]
Orthorhombic prisms dec. 255º Merck Ninth
mp 258-259º Menachery *et al.* 1986 #84 cites Brossi *et al.* 1971
mp 260-260º (from Ethanol) Kapadia *et al.* 1968
mp 262-264º **Brossi *et al.* 1971 JACS 93: 6248**

Hydrochloride $[a]^{17}_{D}$ –41.9º Reti 1950
$[a]^{25}_{D}$ –40º (HCl) (c 1, 50% Ethanol) Menachery *et al.* 1986 cites Brossi *et al.* 1971
$[a]^{26}_{350}$ –187º (c 0.78, $CHCl_3$) Kapadia *et al.* 1968
$[a]^{25}_{D}$ –54º (c 1, H_2O) Menachery *et al.* 1986 cites Brossi *et al.* 1971
$[a]^{25}_{D}$ –56.3º ($CHCl_3$) **Lundström 1983**
Soluble in water. CRC 1980-1981.
Freely soluble in hot water.
Aqueous solution is neutral.
Merck Ninth

Hydrobromide:
mp 270-271º (H_2O).
$[a]^{25}_{D}$ –30º (HBr) (c 1, H_2O).
Menachery *et al.* 1986 cites Brossi *et al.* 1971
Picrate 164-166º Kapadia *et al.* 1968
Tartrate 200-201º
$[a]^{25}_{D}$ –33º (Tartrate) (c 1, H_2O)
Menachery *et al.* 1986 cites Brossi *et al.* 1971
N-Methylanhalonine methiodide = lophophorine methiodide 223º
When heated to mp the quaternary iodide is racemized and then melts at 242-243º
Späth & Keszler 1935 prepared optically active forms of synthetic base:
Synthetic *l*-form when methylated gave N-Methyl derivative i.e. lophophorine, picrate mp 162-163º
Reti 1950

Pharmacological properties are similar both quantitatively and qualitatively to anhalonidine.
Oral dosages of 100 mg. in man [Heffter 1898a] (the only reported human experiment) led to an uneventful tiredness and no noticeable central effects.
Shulgin 1973 page 50.

Anhalonine produces temporary and incomplete paralysis followed by hyperexcitability in rabbit. Lethal dose is 160-200 mg/kg (in rabbits; [given as hydrochloride according to T.A. Henry]).
Anderson citing Kloesel 1958 (p. 312) and Chopra *et al.* 1960 (p. 42)

Heffter found 20-25 mg injected into a frog produced an increase in the reflex excitability after a phase of paresis. Similar symptoms were noted in rabbit but general hyperexcitability predominated. Heffter 1898a

UV, IR and ^{1}H NMR Kapadia *et al.* 1968
UV and CD Cymerman Craig *et al.* 1977
MS, ORD, CD, X-ray Menachery *et al.* 1986 #84 cited Brossi *et al.* 1971

Absolute configuration of (–)-Anhalonine. Battersby & Edwards 1960

Chromophores with tlc visualization reagents:
Fluorescamine (under UV) - Dark purple
Dansyl-chloride overspray (under UV) - Yellow
Iodoplatinate overspray (visible) - Yellow-brown
Ranieri & McLaughlin 1975
O-Dianisidine reagent (equal volumes of 0.5% O-dianisidine in dilute HCl and 10% $NaNO_2$ in water) - Yellow
Lundström & Agurell 1967

Microchemical reactions: Bolland 1911

Synthesis of *dl*-form and resolution:
Späth & Keszler 1935
and Brossi *et al.* 1971

First alkaloid isolated from *L. williamsii*, by Louis Lewin, was named Anhalonine but this was shown to be both inactive entheogenically and also a mixture of several alkaloids. (Lewin 1888b) [*See* also Lewin 1888a]
Anderson 1980
"*Amorphous Anhalonine*", which is encountered in the early literature on peyote was shown to be a mixture of peyotline and lophophorine.
Shulgin 1973 page 50.

Isolated from peyote by Lewin 1888a [see also Lewin 1894a and 1894b]
Heffter found peyote contains 3% anhalonine
Reti 1950
Kapadia *et al.* 1968 isolated 3.25 grams of the HCl from 2.3 kg of peyote.

Anhalonine has been reported from:
Gymnocalycium albispinum Backeberg
Šťarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium asterium Ito
Šťarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium baldianum Speg.
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium bayrianum Till.
Šťarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium boszingianum Schütz
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium chubutense Speg.
Šťarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium curvispinum Friè
Šťarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium gibbosum (Haw.) Pfeiff.
Willaman & Schubert 1961 [?. We have not located a copy of Herrerro-Ducloux yet.]
Anderson 1980 [This is also included in Merck Ninth]
Šťarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms

Gymnocalycium leeanum
DeVries *et al.* 1971 is included in Mata & McLaughlin's generic list. (Article is not available to us)
Herrero-Ducloux 1930b Unclear. He apparently identified based on chemical tests. We are still trying to get a copy of this paper.
Both papers are cited by many sources including Mata and McLaughlin.
Gymnocalycium monvillei (Lem.) Br. & R.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium moserianum Schutz
Štarha *et al.* 1998 (trace) gc, gc-ms
Gymnocalycium oenanthemum Backeberg
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium pflanzii Werd.
Štarha 1996 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms.
Gymnocalycium quehlianum (Haage) Berg.
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium riograndense Card.
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium saglione Britton & Rose
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium schickendantzii Br. & R.
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Gymnocalycium stellatum Speg.
Štarha *et al.* 1997 (Between 0.0001% and 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium striglianum Jeggle
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium uebelmannianum Rausch
Štarha *et al.* 1997 (Less than 0.0001% by fresh wt.) gc, gc-ms
Gymnocalycium valnicekianum Jajó
Štarha 1995a (Less than 0.001% by fresh wt.) gc, gc-ms
Gymnocalycium vatteri Buin
Štarha 1996 (Less than 0.0001% by fresh wt.) gc, gc-ms.
Lophophora diffusa (Croizat) H.Bravo
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms.
[Todd 1969 did not detect]
Lophophora diffusa var. ***koehresii*** Øíha
Štarha & Kuchyna 1996 (0.12% [± 0.02] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc-gc-ms (citing Štarha & Kuchyna 1996)
Lophophora fricii Habermann
Štarha 1997 (0.2% & 0.2% of total alkaloid fraction) gc-gc-ms. [The 2 figures refer respectively to **GR 1086** & **PR 3293**]
Lophophora jourdaniana Habermann
Štarha 1997 (1.1% of total alkaloid fraction) gc, gc-ms
***Lophophora* sp**. var. Vieska (Viesca), Mex.
Štarha & Kuchyna 1996 (0.10% [± 0.02] of the total alkaloid content) gc, gc-ms
Štarha 1997 (0.1% of total alkaloid fraction) gc, gc-ms (citing Štarha & Kuchyna 1996)
Lophophora williamsii (Lemaire) Coulter
Heffter 1898b mp
Lundström 1971b (0.24% dry wt., i.e. 3% of 8% total alkaloid content) glc-ms
Kapadia *et al.* 1968 (0.14%)
Spath & Becke 1935a mp and synthesis
Todd 1969 (equal in tops and roots) tlc
Trichocereus terscheckii (Parmentier) Britton & Rose
Herrero-Ducloux 1932 Detected nonphenolic alkaloid but did not identify.
Reti 1939 [Preliminary paper of the discovery.]
Also in Reti 1950 & 1953
Reti & Castrillon 1951 (trace) [Details.]

N-Formylanhalonine

$C_{13}H_{15}NO_4$
MW 249.0997
Menachery *et al.* 1986 #86
M W 2 4 9 . 2 6 6
Southon & Buckingham 1989 (in entry A-00541)

Absolute configuration is unknown. Southon & Buckingham 1989

Alkaloid from *Lophophora williamsii*
Kapadia & Fales 1968 glc-ms
Southon & Buckingham 1989 oddly say from *A. lewinii* [citing Kapadia et al 1968 J. Chem. Soc. Chem. Commun. 1688 which is Kapadia & Fales 1968.

(+)-N-Acetylanhalonine

$C_{14}H_{17}NO_4$
MW 263.1153 Menachery *et al.* 1986 #87.
MW 263.293
Southon & Buckingham 1989 (in entry A-00541)

mp 151.5-153º cites Kapadia & Fales 1968b

$[a]^{25}_{589}$ +206º cites Kapadia & Fales 1968b
Menachery listed this for synthesis but it does not include

Alkaloid from *Lophophora williamsii*
Kapadia & Fales 1968a & 1968b (trace) glc-ms

N-Ethylanhalonine

8-Ethyl-6,7,8,9-tetrahydro-4-methoxy-9-methyl-1,3-dioxo[4,5-h]-isoquinoline, 9CI;
6-Methoxy-7,8-methylenedioxy-1-methyl-2-ethyl-1,2,3,4-tetrahydrosioquinoline;
2-Ethyl-6-methoxy-7,8-methylenedioxy-1-methyl-1,2,3,4-tetrahydrosioquinoline.

WLN: T B566 CO EO LN DH&&TJ GO1 L2 M
Hayward: 6LMN (CM)LLYRR(OM)Y5OLOYY
#915 in Usdin & Efron 1979

CA Reg. No.: [22030-12-2] Southon & Buckingham 1989 (in entry A-00541)

$C_{14}H_{19}NO_3$
MW 249.1360 Menachery *et al.* 1986 #89
MW 249.309 Southon & Buckingham 1989

Oily base. Kapadia & Fales 1968b

Picrate mp 155-156º Kapadia & Fales 1968b

Ethiodide mp 203-204º cites Kapadia & Fales 1968b
$[a]^{25}_{289}$: (of Ethiodide) -232º (c 0.52, H_2O) Kapadia & Fales 1968b

MS Kapadia & Fales 1968b

Synthesis Kapadia & Fales 1968b.

Absolute configuration unknown. Southon & Buckingham 1989

Trace alkaloid reported from *Lophophora williamsii*
Kapadia & Fales 1968a & 1968b (trace) glc-ms, ir, ms, mp and mmp (of picrate)
Lundström 1971b (0.04% dry wt., i.e. 0.5% of 8% total alkaloid content) glc-ms
[Southon & Buckingham 1989 give as *A. lewinii* which is simply an archaic synonym for this species]

Also from the Leguminous ***Acacia rigidula*** Bentham
Clement *et al*. 1998 (3.8 ppm early Spring/ 43.4 ppm late Autumn by fresh wt. in mixed leaves, petioles & tender stems) gc-ms (This account is questionable.)

Lophotine
(as Iodide)

6,7,8,9-Tetrahydro-4-methoxy-8,8,9-trimethyl-1,3-dioxolo[4,5-h]-isoquinolinium(*1*+), 9CI

$C_{14}H_{20}NO_3I$ [$C_{14}H_{20}NO_3^+$]
MW 377.0483 Menachery *et al.* 1986 #88
MW 250.3117 (ion)Southon & Buckingham 1989 in entry #A-00541

CA Reg. No.: [19267-94-8] Southon & Buckingham 1989

mp 240-242º Anderson 1980
mp 240-242º (EtOH/Ethyl acetate) Kapadia *et al.* 1968 (Softened at 223 and solidified upon further heating until mp 240-242º) [Southon & Buckingham 1989 give this value for the N,N-Dimethyl iodide]

UV, IR Kapadia *et al.* 1968

Orange with modified Dragendorff's

Alkaloid from *Lophophora williamsii*
Kapadia *et al.* 1968 (4.8 mg. from 2.3 kg. dried peyote)
Southon & Buckingham 1989 oddly say from *A. lewinii* citing Kapadia et al 1968

? Mono-OH-tri-MeO-2-Methyl-isoquinoline
(Isomeric identity unclear)

1,2,3,4-Tetrahydro-*ar*-hydroxy-*ar*-trimethoxy-2-methylisoquinoline; ?-Hydroxy-?-trimethoxy-2-methyl-isoquinoline (MIKES does not distinguish between isomers with regards to aromatic substitution)

MW 253.297 Southon & Buckingham 1989: Entry T-00120.
MW 253 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi
Roush *et al.* 1985 (ms-ms, tlc)

Norweberine

1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxyisoquinoline; 5,6,7,8-Tetramethoxy-1,2,3,4-tetrahydroisoquinoline.

[Ed.: Note that this structure was **erroneously** given for Weberine by Mata & McLaughlin 1980c See comments under Weberine]

$C_{13}H_{19}NO_4$

MW 253.297
Southon & Buckingham 1989: Entry T-00148.
MW 253 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

3,4-Dihydro-5,6,7,8-tetramethoxydihydroisoquinoline

5,6,7,8-Tetramethoxy-dihydroisoquinoline; 3,4-Dihydro-5,6,7,8-tetramethoxyisoquinoline.

$C_{13}H_{17}NO_4$
MW 251.282
Southon & Buckingham 1989: Entry T-00160
MW 251 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Isonorweberine

5,6,7,8-Tetramethoxyisoquinoline
Southon & Buckingham 1989 aptly point out that the name Isonorweberine is misleading.

$C_{13}H_{15}NO_4$ **z**
MW 249.266 Southon & Buckingham 1989: entry T-00160
MW 249 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Pachycereine

1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxy-1-methylisoquinoline, 9CI; 5,6,7,8-Tetramethoxy-1-methyl-tetrahydroisoquinoline

CA Reg. #: [82261-04-9] Southon & Buckingham 1989: Entry T-00149.

$C_{14}H_{19}NO_4$
MW 267.324 Southon & Buckingham 1989
MW 267 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Dehydropachycereine

3,4-Dihydro-5,6,7,8-tetramethoxy-1-methylisoquinoline, 9CI; 5,6,7,8-Tetramethoxy-1-methyl-3,4-dihydroisoquinoline; 1,2-Didehydropachycereine.

CA Reg. #: [82261-02-7] Southon & Buckingham 1989: *See* in Entry T-00149.

$C_{14}H_{19}NO_4$
MW 265.308 Southon & Buckingham 1989
MW 265 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

1,2,3,4-Tetradehydropachycereine

5,6,7,8-Tetramethoxy-1-methylisoquinoline, 9CI;

CA Reg. #: [93474-27-2] Southon & Buckingham 1989: *See* in Entry T-00149.

$C_{14}H_{17}NO_4$
MW 263.293 Southon & Buckingham 1989
MW 263 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Weberine

1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxy-2-methylisoquinoline, 9CI; N-Methyl-norweberine; 5,6,7,8-Tetramethoxy-2-methyl-THIQ

[Ed.: Please be aware that Mata & McLaughlin 1980c first isolated and named this alkaloid but this paper included an ***erroneous*** structure (as 5,6,7,8-Tetramethoxy-1,2,3,4-tetrahydroisoquinoline) in both their abstract & structural key.
Mata & McLaughlin 1980c included the ***correct*** structure in their results and discussion section.
Mata & McLaughlin 1980d repeated the ***erroneous*** structure in both their results section and in their experimental section.]

CA Reg. #: [74046-24-5] Southon & Buckingham 1989: in Entry T-00148.

$C_{14}H_{21}NO_4$
MW 267.324 Southon & Buckingham 1989
MW 267 (MIKES) Roush *et al.* 1985

Free base is soluble in Chloroform.
Eluted from silica gel with Benzene-Chloroform (3:17) along with other bases
Mata & McLaughlin 1980c

HCl:
mp 164-165º (from Ethyl acetate) Mata & McLaughlin 1980c (It would not crystallize with many other solvents)
mp 165-166º (from Ethyl acetate) **[Takahashi & Brossi 1982 Heterocycles 19: 691]**

uv, ir, nmr, ci-ms, ei-ms:
Mata & McLaughlin 1980c (M^+, 267)

Isolation: Mata & McLaughlin 1980c

Reacts with Fluorescamine and with Iodoplatinate reagents:
Mata & McLaughlin 1980d

Synthesis: Mata & McLaughlin 1980c

Weberine has been reported from:
Pachycereus pringlei (S.Wats) Br. & R
Mata & McLaughlin 1980d (trace) tlc
Pachycereus weberi (Coulter) Backeberg
Mata & McLaughlin 1980c (0.0012% dry wt as HCl; 25 mg from 2 kg) uv, ir, nmr, ci-ms, ei-ms
Roush *et al.* 1985 (ms-ms, tlc)
Unger *et al.* 1980 Detected with MIKES

N-Methylpachycereine

1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxy-1,2-dimethylisoquinoline, 9CI; 5,6,7,8-Tetramethoxy-1,2-dimethyl-THIQ

CA Reg. #: [74046-25-6] Southon & Buckingham 1989: in Entry T-00149.

$C_{15}H_{23}NO_4$
MW 281.351 Southon & Buckingham 1989
MW 281 (MIKES) Roush *et al.* 1985

Reported from:
Pachycereus pecten-aboriginum (DC) Britton & Rose
Unger *et al.* 1980 reported the presence of N-Methylpachycereine but their conclusion needs questioning as it stands in direct conflict with the rest of the literature. MIKES
Pachycereus weberi (Coulter) Backeberg
Roush *et al.* 1985 (no quantification) ms-ms, tlc

Pilocereine

Pilocereine is a trimer made of three subunits of Lophocerine linked between the 7 and 8 carbons by an ether bridge.

CA Reg. #: [2552-47-8]
Southon & Buckingham 1989: Entry P-00219

$C_{45}H_{65}N_3O_6$ (A trimeric alkaloid)
[$C_{30}H_{44}N_2O_4$ also appears in the literature. This is Heyl's (dimeric) value and represents synthetic material (It has not been reported from natural sources)]
MW 744.025

Free base is optically inactive.
mp 168-170º (176.5-177º after multiple recrystallizations) Djerassi *et al.* 1953
mp (and mmp) 171.5-172.5º (Crystals from Ethyl acetate) Kircher *et al.* 1967
mp 173.5-174.5º Djerassi *et al.* 1962 3215-3217
mp 174-176º (from Acetone-Hexane) Djerassi *et al.* 1958
mp 175-176º (from Acetone-Hexane) West *et al*. 1975
mp 175-176º (from Ethyl acetate) West *et al*. 1975
mp 176-177º O'Donovan *et al.* 1971 & Tomita *et al*. 1963
mp 176.5-177º (Crystals from Ethyl acetate) Southon & Buckingham 1989
Soluble in Alcohol and in Ether. Wani *et al*. 1980

Hydrochloride has 3 waters of crystallization
mp 228-232º dec.

Trihydrochlorate: mp 214-217º

Diperchlorate: mp 216-217º Djerassi *et al.* 1958 58-63

O-Acetate: mp 186-186.5º (Crystals from Ether-Acetone)
Acetate mp 186º Djerassi *et al.* 1958 58-63

Methyl ether:
mp 103-105º/153.5-154.5º (Double melting point) (Crystals from Hexane)
mp 133-134º Djerassi *et al.* 1958 58-63

Ethyl ether: mp 147-148º Djerassi *et al.* 1958 58-63

Isolation:
Djerassi *et al.* 1958 Tetrahedron 2: 58
Heyl 1901 Arch. Pharm. 239: 451
West *et al.* 1975

Isol & charac: Djerassi *et al.* 1953 JACS 75: 3632, Djerassi *et al.* 1958 Tetrahedron 2: 58, Djerassi *et al.* 1962 JACS 84: 3210

uv, ir, structure
Djerassi *et al.*
1953 75: 3632
1954 76: 3215
1956 78: 3861
1957 79: 2203
1962 84: 3210
Tomita *et al.* 1963 (has graphic portrayal of both)

structure
Djerassi *et al.*
1953 75: 3632
1954 76: 3215
1956 78: 3861
1957 79: 2203
1962 84: 3210
Tomita *et al.* (1963) Chem Pharm bull 11: 1477 (still thought to be a dimer),
Bessho (1963)c Chem Pharm Bull 11: 1491 (still thought it a dimer), 1500, 1504 (noted Djerassi had revised structure to trimer), 1507

Synthesis:
s&b cited Franck *et al.* 1965 Justus Liebigs Ann. Chem. 685

Biosynthesis (originates from oxidative coupling of Lophocerine):
O'Donovan *et al.* 1971
Schütte & Seelig 1969 Ann. Chem. 730: 186.

Shows plant growth inhibition activity. Mandava *et al.* 1981 [Ed.: Mislabeled in Table 2 as *Piloceridine*]

Pharmacological evaluation: Powell & Chen 1956

Antimalarial agent (Found to be almost equipotent with quinine against *Plasmodium relictum*. In birds; oral and iv) Powell & Chen 1956

LD_{50}: 52 (±4.5) mg/kg/iv in albino mice (starved)
After injection mice became prostrate; gasping for breath with hindlegs kicking. Within 10 minutes all animals either died or recovered completely.
Powell & Chen 1956

Pilocereine has been reported from:
Lophocereus australis (K.Brandegee) Borg
Djerassi *et al.* 1954c [(crude) 0.5% by dry wt; 0.27% yield after purification) [Considered by some to be a local variant of *L. schottii*; *Lophocereus schottii* var. *Australis]*
Djerassi et al 1962 JACS 84: 3215 (2 mg/ 1.1 after recryst. from 399 gm of cored material) mp, mmp
Lophocereus gatesii M.E.Jones
Djerassi *et al.* 1954c (0.5% by dry wt)
Djerassi et al 1962 JACS 84: 3215 (1.5 gm from 300 gm dry/3.3 kg wet weight) mp, mmp, ir
[Agurell 1969c also appears listed as a reference but only mentions pilocereine He did not analyze this species.]
Lophocereus schottii (Engelmann) Britton & Rose
Djerassi *et al.* 1953b (Isolated 0.5% yield by dry wt)
Djerassi *et al.* 1958c (novel cactus alkaloid) **(3 gm plus 220 gm from 5.5 kg of 36 kg worth of extract) mp**
West *et al.* 1975 (Observed) tlc
O'Donovan & Horan 1968 & 1969 & O'Donovan *et al.* 1971 (Noted as present)
(Material isolated from this species by Djerassi was pharmacologically evaluated by Powell & Chen 1956)
[Heyl 1901 isolated 5.8% of an amorphous alkaloid and named it Pilocereine.]
Lophocereus schottii (Engel.) Br. & R. *forma* ***mieckleyanus*** G.Lindsey
West *et al.* 1975 (0.005% yield by dry wt) mp, mmp, ir
Lophocereus schottii (Engel.) Br. & R. *forma* ***monstrosus*** Gates
West *et al.* 1975 (0.01% yield by dry wt) mp, mmp, ir
Pachycereus marginatus (DC) Br. & R.
Djerassi *et al.* 1954c (Over 0.076% [fresh wt] (Additional alkaloid was obtained but it is unclear how much was pilocereine and what was unidentified material) mp, uv, ir
(Material isolated from this species by Djerassi was pharmacologically evaluated by Powell & Chen 1956)
[Agurell 1969c also appears listed as a reference. He mentioned but did not analyze this species.]
Lophocereus schottii forma ***monstrosus***
West *et al.* 1975 (0.01% by dry wt.) mp, ir
Lophocereus schottii forma ***mieckleyanus***
West *et al.* 1975 (0.0026% by dry wt.) mp, ir [0.005% is given as the yield in the experimental account We suspect it to be a typo as they also described obtaining 23 mg from 885 grams of dried plant.]
[Interestingly, if we compare the reported chemical profiles as concerns *Lemaireocereus*, *Lophocereus*, *Marginatocereus*, *Pachycereus*, *Stenocereus* and similar giant Ceroids, it strongly suggests that this species should probably be renamed *Lophocereus marginatus.]*

Piloceredine

Piloceredine is diastereoisomeric with Pilocereine

Southon & Buckingham 1989: Entry P-00218

$C_{45}H_{65}N_3O_6$ (A trimeric alkaloid) [Djerassi's earlier assignment of $C_{30}H_{44}O_4N_2$ was shown to be incorrect]
MW 744.025

C, 72.54; H, 8.93; N, 5.64; O, 12.89 (calc.)
C, 72.61; H, 8.51; N, 5.59; O, 12.95 (exp.)
Djerassi et al 1958 58-63

Free base is optically inactive.
mp 161-163º/ mp 165-166º After recrystallized from acetone
Djerassi et al 1958 58-63

Trihydrochlorate (listed in Djerassi as diperchlorate):
mp 221-222º (Crystals from Methanol) Djerassi et al 1958 58-63

Acetate: mp 133-134º (Crystals from Petroleum ether) Djerassi et al 1958 58-63

Methyl ether: mp 141-142º (Crystals from Hexane) Djerassi et al 1958 58-63

Ethyl ether:
mp 150-152º (Crystals from Hexane)
mp 147-149º Djerassi et al 1958 58-63

Isol : Djerassi *et al.* 1958 Tetrahedron 2: 58

Reported from:
Lophocereus schottii (Engelmann) Britton & Rose
Djerassi *et al.* 1958c (1.456% by dry wt.) **[9.5 gm plus 80.1 gm from 5.5 kg worth of 36 kg worth of extract.**
Djerassi et al 1958 58-63
[Agurell 1969c is also cited as a reference but he only mentioned a previous report]
[Dingerdissen & McLaughlin 1973b also appears listed as a reference but they do not mention this species]

Lophocine

1,2,3,6a,7,8,9,12a-Octahydro-5,11-dimethoxy-1,7-dimethyl-6a,12a-bis(2-methylpropyl)-6,12-dioxa-1,7-diazadibenzo[def,mno]chrysene, 9CI

CA Reg. #: [74991-76-7] Southon & Buckingham 1989
Entry # L-00135

$C_{30}H_{40}N_2O_4$
MW 492.657

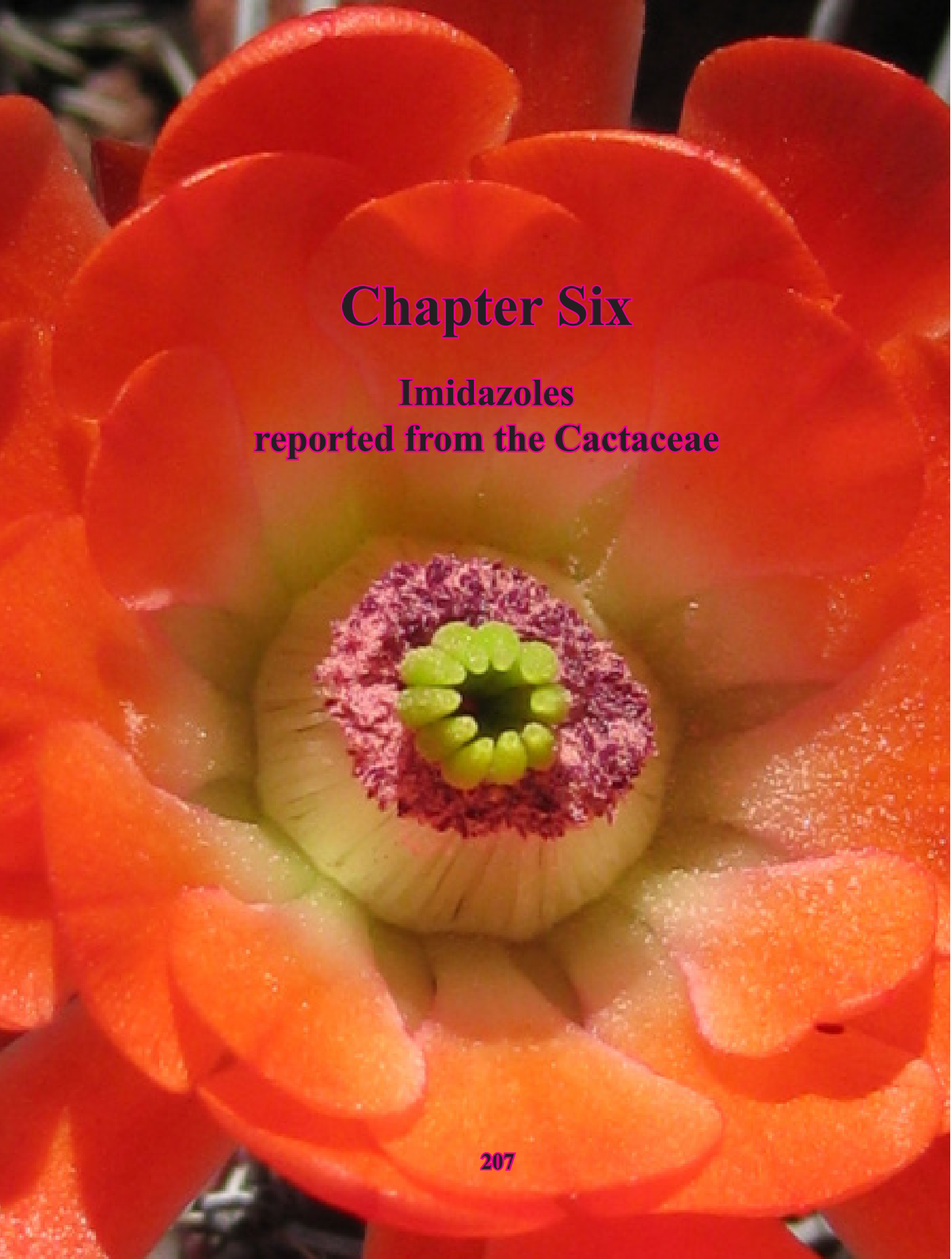
Monoclinic.
mp 194-196º (Large needles from acetone) Wani *et al*. 1980

uv, ir, pmr: Wani *et al*. 1980

Structure: Wani *et al*. 1980

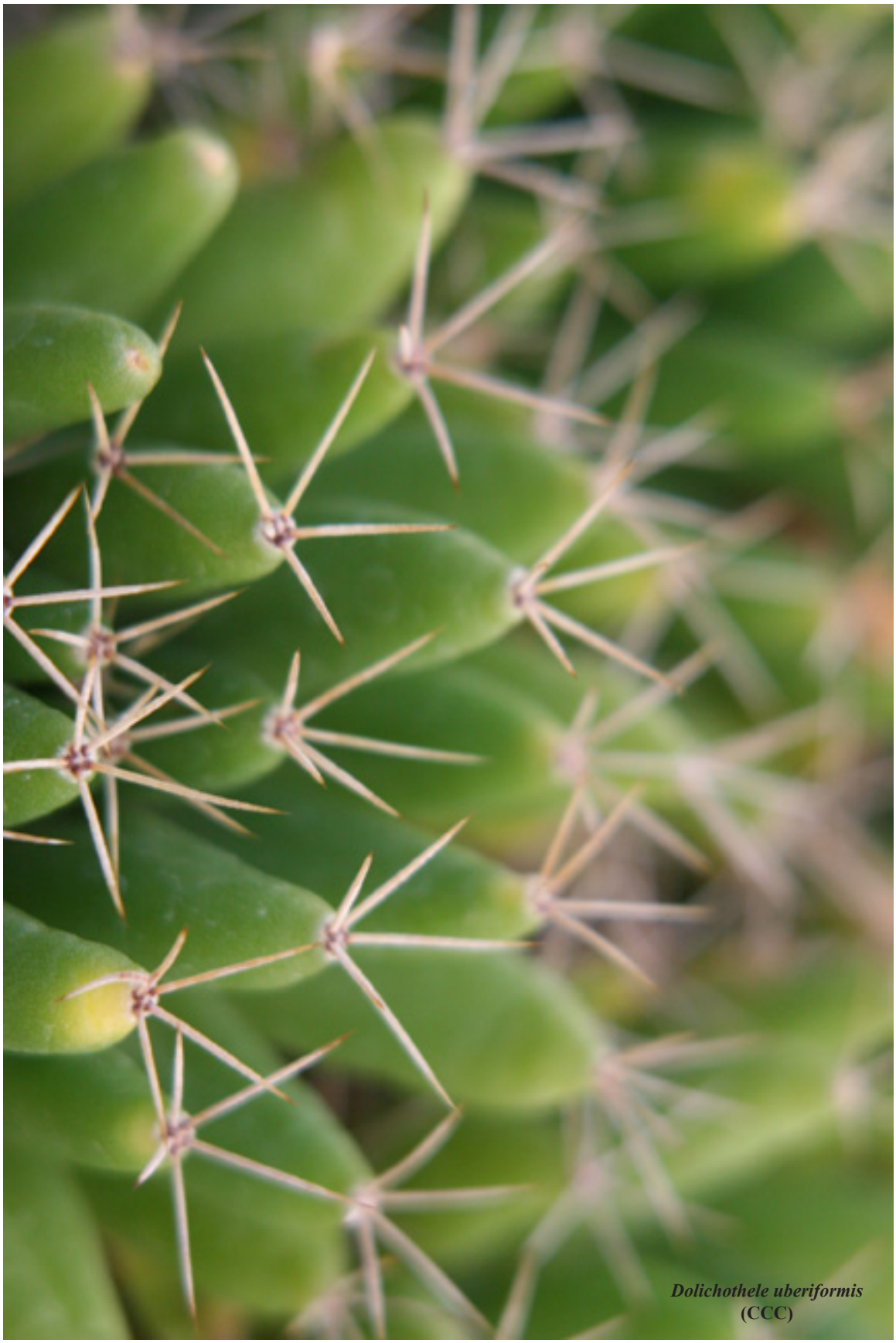
Isolation: Wani *et al*. 1980

Reported from ***Lophocereus schottii*** (Engelmann) Britton & Rose but it is unclear if it is actually a natural product. It is believed to be an artifact.
Wani et al. 1980 (Recovered 0.003% by dry wt.)



Chapter Six

Imidazoles reported from the Cactaceae



Dolichothele uberiformis
(CCC)

Dolichotheline

(N-Isovalerylhistamine)

N-[2-(H-Imidazol-4-yl)ethyl]-3-methylbutamide, 9CI;
4-[(Isopentanoylamino)ethyl]imidazole

CA Reg. No.: [23100-08-5]
Southon & Buckingham 1989, #D-00442

$C_{10}H_{17}N_30$
MW 195.264
Southon & Buckingham 1989

Free base:
mp 195.264º Southon & Buckingham 1989

Acetate
mp 76-78º Southon & Buckingham 1989

Picrate
mp 150-152º Southon & Buckingham 1989

isol, ir, pmr, ms, struc. Rosenberg & Paul 1970
pmr, cmr Ferrigni *et al.* 1984

Synthesis:
Rosenberg & Paul 1970

biosynthesis:
Horan & O'Donovan 1971
Rosenberg & Paul 1970
Rosenberg & Stoh 1976

See
Dingerdissen & McLaughlin 1973a & 1973b & 1973c
Rosenberg & Paul 1969 & 1970
Rosenberg & Stoh 1976
Horan & O'Donovan 1971
Ferrigni *et al.* 1984

Dolichotheline has been reported from:

Dolichothele baumii (Boedecker) Werdermann & Buxbaum
Dingerdissen & McLaughlin 1973b

Dolichothele longimamma (DeCandolle) Britton & Rose
Dingerdissen & McLaughlin 1973b

Dolichothele melaleuca (Dietrich) Britton & Rose
Dingerdissen & McLaughlin 1973b

Dolichothele sphaerica (Dietr.) Britton & Rose
Rosenberg & Paul 1969 & 1970 (0.7% by dry wt; did not mention any other alkaloids)
Dingerdissen & McLaughlin 1973b (0.65%: major alkaloid; reported presence of other, mainly trace, alkaloids).
Dingerdissen & McLaughlin 1973a (0.65%)
[Also said to be reported in Habermann 1974a (from Štarha *nd*)]
Dingerdissen & McLaughlin 1973c

Dolichothele surculosa (Boedecker) F.Buxbaum
Dingerdissen & McLaughlin 1973b

Dolichothele uberiformis (Zuccarini) Britton & Rose
Dingerdissen & McLaughlin 1973b

Dolichothele sphaerica
(Jim Hogg County, Texas)

N,N-Dimethylhistamine

4-[2-(Dimethylamino)ethyl]imidazole, 8CI;
N,N-Dimethyl-*1H*-imidazole-4-ethanamine, 9CI;
Nα,Nα-Dimethylhistamine; DMH.

CA Reg. No.: [673-46-1]
Southon & Buckingham 1989, entry #D-00378

$C_7H_{13}N_3$
MW 139.200
NIOSH # NI 4840000

Free base is soluble in Chloroform. Ferrigni *et al.* 1982
Soluble in Methanol and also in Acetone but less so in Acetone. Erspamer et al. 1964

Equivalency of Free base =Dihydrochloride x 0.66

Dihydrochloride:
$C_7H_{13}N_3 \cdot 2HCl$
mp 182-184º Southon & Buckingham 1989
mp 183-184.5º Durant *et al.* 1976
mp 183-184.5º (from Ethanol containing 5% HCl and crystallized by the addition of Ether & chilling)
Soluble in water.
Ferrigni *et al.* 1982

Dipicrate:
mp 229-230º

Chromatography
TLC

Paper
n-Butanol-Methylamine (Rf 0.82-0.86 ref./ 0.80 isol.)
1-Pentanol + Pyridine + Water + Methylamine (Rf 0.69-0.74 ref./ 0.68-0.70 isol.)
Isopropanol + Ammonia (Rf 0.85-0.88 ref./ 0.83-0.86 isol.)
Methyl ethyl ketone + Pyridine + Water + Methylamine (Rf 0.66-0.69 ref./ 0.66-0.72 isol.)
Erspamer *et al.* 1964

Column
Eluted with Ethanol from Alumina (not adsorbed so the bulk appears in the first eluate and the rest immediately thereafter) Erspamer *et al.* 1964

IR, PRM, UV, MS Ferrigni *et al.* 1982

Assays
Diazotized sulfanilic acid + sodium carbonate showed Pink red color (sensitive at less than 1 microgram)
Diazotized p-nitroaniline + sodium carbonate showed Brownish-violet color (sensitive at 1 microgram)
Folin reagent gave a questionable reacion with a Pale pink color (sensitive at 20-30 micrograms)
No reaction with Heinrich and Schuler NNCD readent
No reaction with Gibbs reagent
No reaction with Ehrlichs reagent.
Erspamer *et al.* 1964

Positive reactions with:
Dansyl chloride
Dragendorff's reagent
Iodoplatinate
No reaction with Ehrlichs reagent.
Ferrigni *et al.* 1982

Quantitiative assay: Erspamer et al. 1964

Said to have hypotensive properties
Ferrigni *et al.* 1982 & Shulgin & Shulgin 1997

Synthesis:
Durant *et al.* 1976
Ferrigni *et al.* 1982 (from Histamine in 1 step) from Borch & Hassid 1972
Huebner *et al*. 1949
Huebner 1951
Ingle & Taylor 1963

Activity:
Not hallucinogenic.
The following was based on an error in the literature. See comments under *Echinocereus triglochidiatus* in Cactus Chemistry By Species.
Bioassays in a human established it not to be hallucinogenic. Bioassays were performed by one individual who, under the impression this cactus contained DMT, isolated the pure alkaloid as crystals and then bioassayed it. First by smoking and then ingesting it orally. Initially this was alone but it was then taken in combination with an MAOI. He said it made him feel different but "weird".
I responded by congradulating him for apparently providing us with the first known human bioassay reports for dimethylhistamine.
(NEED 2 INSERT: summarize report of the effects and directly quote from both letters.

Biological activity:
Shows powerful stimulant action on guinea-pig ileum assay. This was completely blocked by Mepyramine.
Erspamer *et al*. 1964

N,N-Dimethylhistamine has been reported from:
Cactaceae
Echinocereus blanckii Poselger ex Rümpler
Wagner & Grevel 1982b (0.016% by fresh wt/ 0.285% by dry wt. (as 2HCl))
Echinocereus triglochidiatus Engelmann var. ***neomexicanus*** (Standley) Standley ex W.T.Marshall
Ferrigni *et al.* 1982 (no quantification) tlc
Echinocereus triglochidiatus Engelmann var. ***paucispinus*** Engelmann ex W.T.Marshall
Mata & McLaughlin 1982 citing Ferrigni & McLaughlin 1981: unpublished results (no quantification)
Ferrigni *et al.* 1982 (0.11% dry wt) tlc, mp, mmp, ir, ei-ms, pmr

Rutaceae
Casimiroa edulis Llave et Lex.
Major & Dürsch 1958 (in seeds)
Chenopodiaceae
Spinacia oleracea
Appel & Werle 1959

Coprinus comatus Gray
List 1958

Also reported from the sponges:
Geodia gigas
Ackermann *et al.* 1924
***Ianthella* sp**.
Shulgin & Shulgin 1997 (mentions)

Amphibians
Leptodactylus pendactylus labyrinthicus
30-40 microgram per gram of dry skin
210-240 microgram per gram of dry skin (harvested Sept. 1961)
Erspamer *et al.* 1964

Also in human urine
Erspamer et al 1964 cited Kapeller-Apler, R. & B. Iggo (1957) Biochim. Biophys. Acta 25: 394

Dolichothele uberiformis
(HBG)

Echinocereus triglochidiatus

Skin extracts of :
Nictimystes disrupta

CHECK for more data
Appel & Werle 1959
Dawborne 1972 (check for EI-MS)
Durant *et al.* 1976
Borch & Hassid 1972
Huebner *et al.* 1949
Huebner 1951
Ingle & Taylor 1963
Major & Dürsch (1958) J. Org. Chem. 23: 1564 (isol)

See
Wagner & Grevel 1982b
Roseghini, M. *et al.* (1976) Z. Naturforsch. C. 31: 118 (occur)
Wagner, H. *et al.* (1982) Planta Medica 45: 95 (isol)
Ferrigni, N.R. (1982) J. Ethnopharm. 5: 359 (isol.)
Romero, M.L. (1983) J. Chromatogr. 281: 245 (hplc)
Ferrigni, N.R. *et al.* (1984) Rev. Latinoam. 14: 131 [CA 101: 23790a] prm, cmr

Unidentified imidazoles

5 unidentified imidazoles were reported in traces from:
Dolichothele sphaerica
Dingerdissen & McLaughlin 1973a

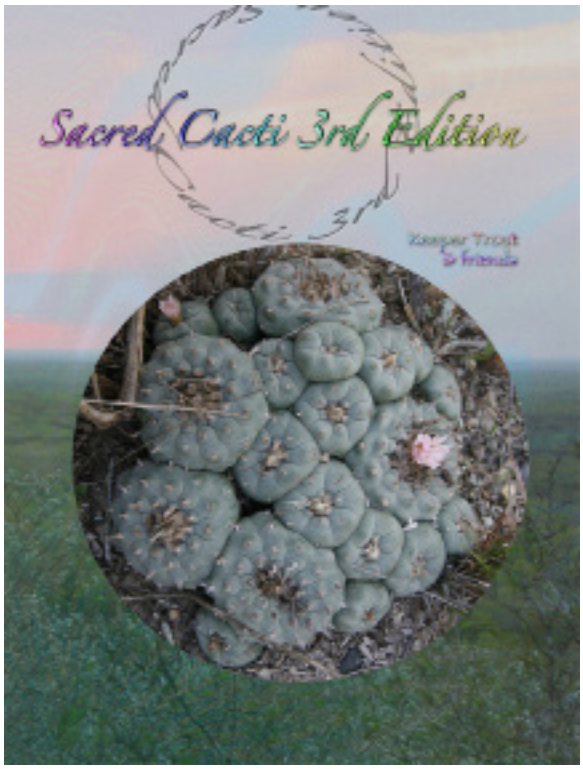
An unidentified imidazole was reported from:
Dolichothele surculosa (Boedecker) F.Buxbaum
Dingerdissen & McLaughlin 1973b

Dolichothele uberiformis
(CCC)

Need to create another section for alpha pyrones

Opuntiol

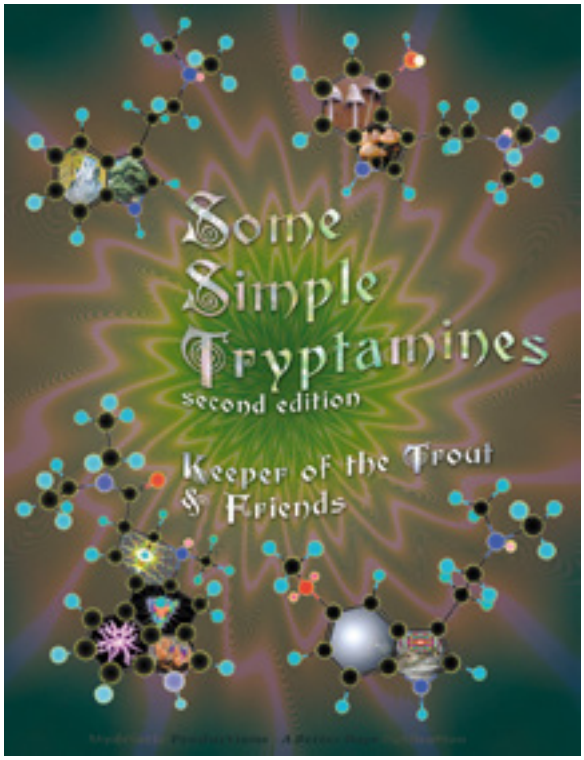
Dolichothele longimamma
(BTA)



***Sacred Cacti* is online at**
http://sacredcacti.com
It will apparently be a 4th edition before it gets to print.

***San Pedro* PDF:**
http://www.troutsnotes.com/pdf/SP.html

Cactus Chemistry By Species
http://www.troutsnotes.com/pdf//C10.html



***Some Simple Tryptamines* PDF**
http://www.troutsnotes.com/pdf//SST.html

Want more Trout?


Terence McKenna 1992 *Food of the Gods*, page 252.

WE ARE STILL HERE.

Peyote plant from Safford 1916.

18

Opening comments from *Sacred Cacti*
http://www.troutsnotes.com/pdf//SC3_A.pdf


Chapter 3 (with corrections & edits: rev. 17 April 2004)

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Sacred Cacti Third Edition (2005?)
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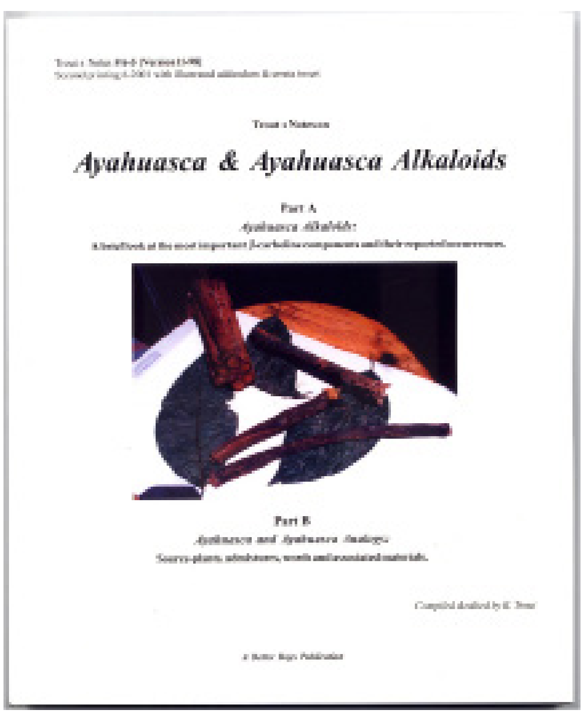
Trout's Notes on
Some Other Succulents

featuring: Notes on the AIZOACEAE;
with particular reference to the genus *Delosperma*

by Trout & friends

Some Other Succulents
http://www.troutsnotes.com/pdf//SoS_2004_Trout.pdf

***The Cactus Alkaloids* (the work that you are viewing)**
http://www.troutsnotes.com/pdf//C13.html


Ayahuasca & Ayahuasca Alkaloids

Part A

Ayahuasca Alkaloids

Part B

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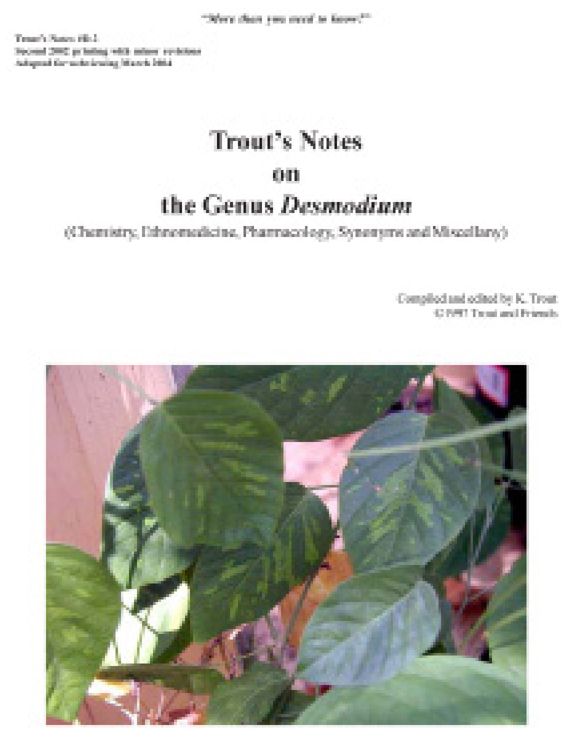

"More than you need to know!"

Trout's Notes
on
the Genus *Desmodium*
(Chemistry, Ethnomedicine, Pharmacology, Synonyms and Miscellany)

Compiled and edited by K. Trout
© 1997 Trout and Friends

The Genus *Desmodium*
http://www.troutsnotes.com/pdf//D2_2004_Trout.

Appendix

Dried runs inside of the bottle of Earl's cardon elixir

Coryphantha robertii in Hidalgo Co. Texas

***Trichocereus* sp. Peru 57.0884**
[P.C. Hutchison 1597]
(UC)

***Astrophytum capricorne* or *capricorne* hybrid**

Simple list of cacti reported to contain alkaloids that were not identified

Some may be rejected or bad names, may be synonymous with others in the list, may have been analyzed more thoroughly under another synonym or may have been subsequently analyzed by others.

Some indicate instances where unidentified compounds were found accompanying other alkaloids that were isolated and identified.

This listing is far from comprehensive.

Acanthocereus subinermis
Anhalonium jourdanianum [Please note that there is absolutely no evidence to link this with the plants presently being called *Lophophora jourdaniana*.]
Anhalonium prismaticum [i.e *Ariocarpus retusus*.]
Anhalonium williamsii [Thought to be *L. diffusa*]
Aporocactus flagelliformis
Ariocarpus agavioides
Ariocarpus retusus [Negative assays also exist.]
Astrophytum myriostigma
Austrocylindropuntia salmiana [i.e *Opuntia salmiana*]
Aztekium ritteri
Backebergia militaris
Cactus pentagonus
Cephalocereus chrysacanthus
Cephalocereus senilis
[*Cephalocereus* now is often considered *Pilocereus*.]
Cereus sp.
Cereus donkelaarii
Cereus flagelliformis
Cereus grandiflorus [i.e *Selenicereus grandiflorus*.]
Cereus peruvianus
Cereus peruvianus f. *monstrosus*
Cereus rostratus
Cereus scandens
Cereus serpentinus
Cereus triangularis
Cereus validus
Cleistocactus species
Coryphantha cornifera
Coryphantha cornifera var. echinus
Coryphantha elephantidens
Coryphantha macromeris
Coryphantha palmeri
Coryphantha pectinata
Coryphantha runyonii
Coryphantha vivipara
Dolichothele baumii
Dolichothele longimamma
Dolichothele melaleuca
Dolichothele sphaerica
Dolichothele surculosa
Dolichothele uberiformis
Echinocactus caespitosus
Echinocactus horizonthalus [also reports of no alkaloid]
Echinocactus myriostigma [i.e Astrophytum myriostigma.]
Echinocactus polycephalus
Echinocactus polycephalus var. *xeranthoides*
Echinocactus texensis [i.e *Homocephala texensis*]
Echinocereus acifer
Echinocereus chloranthus
Echinocereus chloranthus var. *stramineus*
Echinocereus davisii
Echinocereus enneacanthus
Echinocereus enneacanthus var. *stramineus*
Echinocereus intertextus var. *dasyacanthus*
Echinocereus mamillosus [Britton & Rose list as a hybrid.]
Echinocereus russanthus
Echinocereus triglochidiatus
Echinocereus viridiflorus
Echinocereus viridiflorus var. *chloranthus*
Echinocereus visnaga
Echinomastus dasyacanthus
Echinopsis eyriesii
Echinopsis triumphans
Epiphyllum ackermannii [Britton & Rose list it as a hybrid.]
Epiphyllum phyllanthus
Epiphyllum truncatum
Epithelantha micromeris
Espostoa huanucensis
Espostoa lanata
Ferocactus stainesii var. *pringlei*
Ferocactus wislizeni
Glandulicactus crassihamatus
Grusonia bradtiana
Gymnocactus aguirreanus
Gymnocactus horripilus
Gymnocactus mandragora
Gymnocactus roseanus
Gymnocactus roseanus var. ?
Gymnocactus viereckii
Gymnocalycium gibbosum see under.
Gymnocalycium multiflorum
Gymnocalycium saglione
Harrisia adscendens
Harrisia gracilis
Helianthocereus huascha [i.e. *Trichocereus huascha* AKA *Lobivia huascha*]
Hertrichocereus beneckei [i.e. *Stenocereus beneckei.*]
Hylocereus trigonus
Hylocereus undatus
Lemaireocereus sp.
Lemaireocereus chende [i.e. *Polaskia chende*]
Lemaireocereus chichipe [i.e. *Polaskia chichipe*]
Lemaireocereus griseus
Lemaireocereus hollianus
Lemaireocereus hystrix
Lemaireocereus pruinosis
Lemaireocereus quevedonis
Lemaireocereus stellatus [i.e *Stenocereus stellatus*]
Lemaireocereus thurberi
Lemaireocereus treleasei [i.e. *Stenocereus treleasei*]
Lemaireocereus weberi
Leuchtenbergia principis
Lophocereus gatesii
Lophocereus schottii
Lophocereus schottii forma mieckleyanus

Lophocereus schottii forma monstrosus
Lophophora williamsii
Machaerocereus eruca see as *Stenocereus eruca*
Machaerocereus gummosus
Mammillaria applanata [member of *M. heyderii* complex]
Mammillaria arietina [The only reference to this species that **we** can locate is a comment it was found to be nonpoisonous in Lewin 1894b]
Mammillaria arizonica
[*M. bullingtonia* is not mentioned in the literature but is also a member of the *M. heyderii* complex and should be EXPECTED to contain some sort of alkaloid. It lacks any analysis]
Mammillaria centricirrha [i.e *Neomammillaria magnimamma.*]
Mammillaria cirrhifera
Mammillaria cirrhifera var. *pachythele* [See above comment wrt Lewin 1894b]
[*M. gummifera* is not mentioned in the literature but is also a member of the *M. heyderii* complex]
Mammillaria hemispaerica [this is another member of *M. heyderii* complex]
Mammillaria heyderii
Mammillaria lenta
Mammillaria magnimamma
Mammillaria meiacantha [this to is a member of *M. heyderii* complex]
Mammillaria multiceps
Mammillaria polythele [See above comment wrt Lewin 1894b]
Mammillaria pulchra [See above comment wrt Lewin 1894b]
Mammillaria runyonii [= *Mammillaria meiacantha*; **NOT** = *Coryphantha runyonii*]
Mammillaria uberiformis [i.e *Dolichothele uberiformis.*]
Mammillaria vivipara
Monvillea spegazzinii
Myrtillocactus geometrizans [Positive and negative assays exist.]
Neoraimondia macrostibas
Neochilenia species
Neomammillaria magnimamma [i.e. *Mammillaria magnimamma*]
Neomammillaria meiacantha [i.e. *Mammillaria meiacantha*]
Neoporteria species
Nopalxochia ackermanii
Notocactus concinus
Notocactus herteri
Notocactus scopa
Obregonia denegrii
Opuntia acanthocarpa
Opuntia bergeriana
Opuntia bigelovii
Opuntia brasiliensis
Opuntia chlorotica
Opuntia cochinellifera
Opuntia comonduensis
Opuntia compressa
Opuntia curvospina
Opuntia decumana
Opuntia dillenii
Opuntia elatior
Opuntia erinacea
Opuntia erinacea var. *hystricina*
Opuntia ficus-barbarica
Opuntia fragilis
Opuntia fulgida
Opuntia humifusa
Opuntia hyptiacantha
Opuntia imbricata
Opuntia inermi
Opuntia leptocaulis
Opuntia lindheimeri
Opuntia littoralis
Opuntia macrodasys
Opuntia maxima
Opuntia megacantha
Opuntia pachypus
Opuntia phaeacantha
Opuntia retrosa
Opuntia soehrensii
Opuntia spp.[Argentina]
Opuntia streptacantha
Opuntia stricta
Opuntia stricta var. *dillenii*
Opuntia subulata
Opuntia versicolor
Opuntia violacea
Opuntia violacea var. *macrocentra*
Opuntia vulgaris
Opuntia whipplei
Pachycereus sp.
Pachycereus grandis
Pachycereus marginatus
Pachycereus pecten-aboriginum [Rt:++/St:-/Ribs:+++]
Pachycereus weberi
Parodia sanguiniflora
Pelecyphora aselliformis
Pelecyphora pseudopectinata
Peniocereus greggii
Pereskia aculeata
Pereskia guamacho
Pereskia lychnidiflora
Phyllocactus ackermanii [i.e *Epiphyllum ackermannii.*]
Phyllocactus hybridus
Phyllocactus russelianus [i.e *Schlumbergera russeliana.*]
Phyllocactus scandens
Pilocereus argentianus [typo meaning *Pilocereus sargentianus.*]
Pilocereus gounellei
Pilocereus sargentianus [i.e *Lophocereus schottii.*]
Pterocereus gaumeri
Rebutia marsoneri
Rhipsalis baccifera
Rhipsalis cassytha
Rhipsalis conferta [i.e. *Rhipsalis teres*]
Rhipsalis species
Rhipsalis teres
Rhipsalis warmingiana
Schlumbergera bridgesii

Schlumbergera russeliana
Schlumbergera truncata
Selenicereus grandiflorus
Solisia pectinata
Stenocereus fricii
Stenocereus queretaroensis
Strombocactus disciformis
Sulcorebutia kruegeri

Thelocactus bicolor
Trichocereus atacamensis (Chile) has been reported to have strongly stimulant activity in human bioassays. Dosage was 6-8" of a single rib. Anonymous.
Analysis is totally lacking.
Trichocereus candicans
Trichocereus chiloensis
Trichocereus grandiflora
Trichocereus huanacoensis (Peru) has been reported to have stimulant activity in human bioassay. Dosage was said to be 600 gm which in many species would be too low for the contained mescaline to have good effects.
Analysis is lacking.
Trichocereus huascha
Trichocereus litoralis
Trichocereus pachanoi
Trichocereus peruvianus
Trichocereus strigosus
Trichocereus sp. *aff. T. Terscheckii*
Trichocereus thelegonus
Trichocereus thelegonoides
Trichoceerus uyupampensis has been reported to be hallucinogenically active in human bioassay.
Wigginsia arechavaletai
Zygocactus truncatus

Most of the above were included in Shulgin: THIQ and/or Reti 1950 and/or Chalet 1980a.

Comments on cacti reported to contain no alkaloids

Numerous species have been reported to have no alkaloids by Djerassi and coworkers, in a variety of papers, but their findings should be regarded as tentative negatives at best, as they were only capable of detecting alkaloids soluble in both alcohol AND ether and which formed alkaline solutions. Their protocol suggests that they were under the impression that all alkaloids were soluble in ether.

Many species they reported to be alkaloid negative have been determined by other investigators to contain alkaloids, sometimes in substantial amounts.

Echinopsis rhodotricha was reported to contain no alkaloid but was later found to contain small amounts. (Both reports were by Agurell)

A number of *Thelocactus* species were analyzed by West and said to contain no alkaloid but none were mentioned by specific name and details are apparently never published.

Agurell 1969 commented that, of the 120 cactus species he had examined by that time, only 40% contained alkaloids. He considered any species containing less than 500 μg per 100 grams of fresh wt to be essentially devoid of alkaloid. (Some were later found to contain trace amounts when using a lower threshold; a point predicted in Agurell 1969.)

Smolenski's [and Fong's] assay summaries (in *Lloydia*) list a number of cacti which tested negative. Many of these had alkaloids recovered by others. In some cases, they reported both positive and negative results within the same species.

One subtle but significant problem is that negative results from alkaloid analysis rarely are viewed as appropriate subject material for generating new papers. I'd suggest it is often valuable to know of negative results but do not see the creation of *the Journal of Negative Results* any time soon.

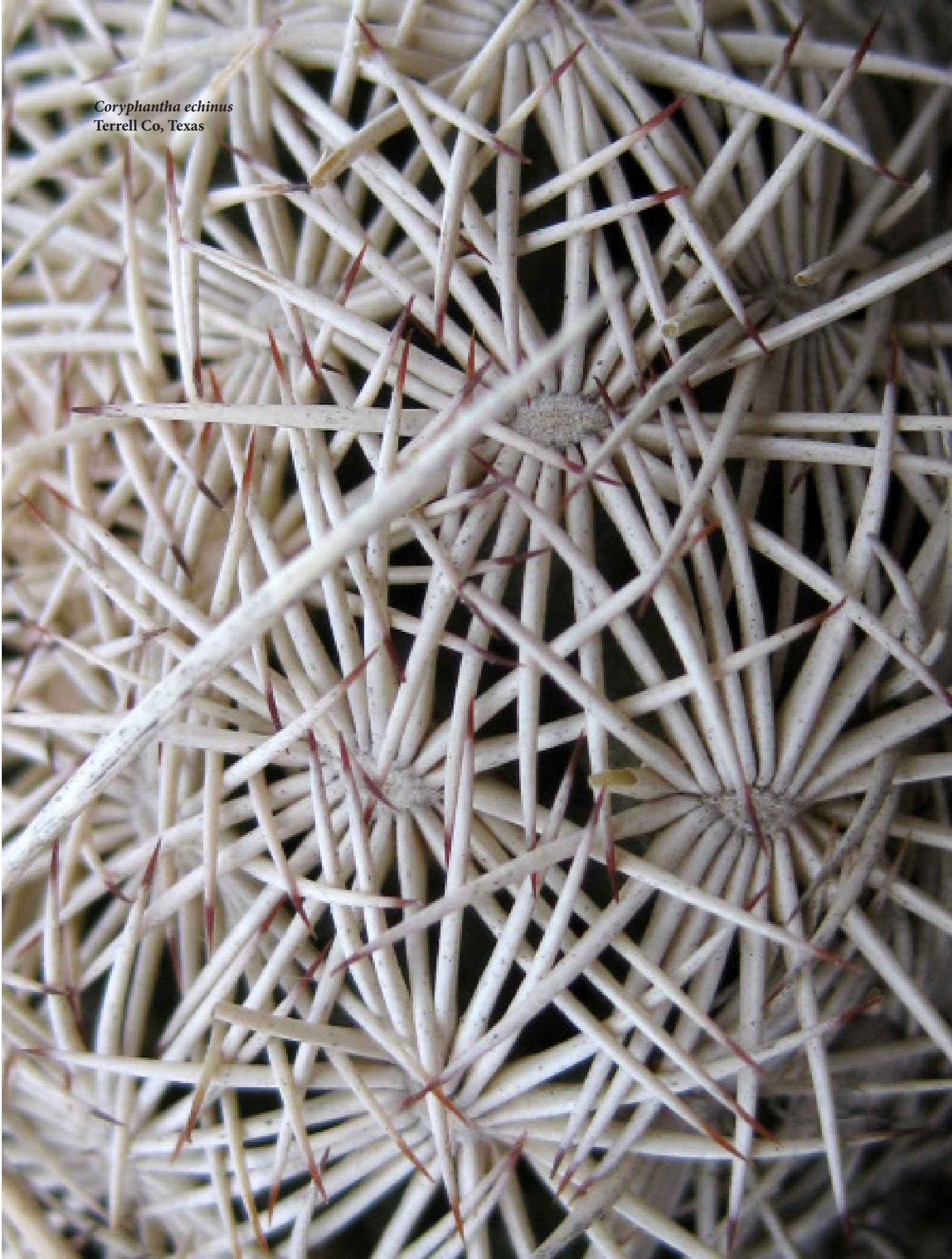
Leaves on a monstrose *Trichocereus bridgesii*

In the first printing of ***San Pedro*** we referred to these small hornlike structures as vestigal leaves. These are apparently simply leaves.

See Gibson & Nobel 1986 page 6; fig 1.18 for another nice example.

We still do not understand why these appear sporadically on only some new growth and thusfar show seemingly neither any consistency nor pattern to their appearance; at least not so far as can presently be observed.

Consult the index of ***San Pedro***, under the misnomer "*vestigal leaves*" or "*leaves*" (depending on the version of ***San Pedro***) for a number of additional images.



Coryphantha echinus
Terrell Co, Texas

Commercial dried *Trichocereus* flesh
T. pachanoi (left & back)
T. peruvianus (right)

Reagents & Assays useful for Mescaline

Trichocereus **slice showing partially removed cuticle**

***Trichocereus peruvianus* (GF)**
flowering in the Oakland hills

Chromophoretic Reagents & Assays

The following is a listing of reagents and assays which may be useful for identifying mescaline. Some are useful due to their NOT reacting with mescaline.

[Mainly compiled from Clark's 2nd and Svenson & Verpoorte 1983 or as indicated]

A summary of color **reactions** and Rf values in a variety of solvent systems can be found under the entry for mescaline.

Chloranil:

(No reaction with mescaline) From Heacock & Forrest 1973; 1 gram of Chloranil in 100 ml of Acetonitrile. Freshly prepared before use.

Chromic acid (modified)):

From Bastos 1956; 0.4 grams of $NaCrO_4 \cdot 4H_2O$ is first dissolved into 10 ml of water then brought up to 100 ml with a 1:1 mixture of HNO_3 (d. 1.38) and $HClO_4$ (d. 1.685). Application to mescaline turns it red. It is recommend that it be chromatographed on paper first.

CNTNF:

(No reaction with mescaline) From Heacock & Forrest 1973; 1 gram of 9-Dicyanomethylene-2,4,7-trinitrofluorene in 100 ml of Acetonitrile. Freshly prepared before use.

Dragendorff's reagent:

Dissolve 1 gram Bismuth subnitrate in 3 ml. of 10M Hydrochloric acid with the aid of heat, dilute to 20 ml with water, and dissolve into the mixture 1 gram of Potassium iodide. If black Bismuth tri-iodide separates, add 2M HCl and more Potassium iodide to dissolve.

Dissolve the sample in 3 drops of 2M Hydrochloric acid, add 2 to 3 ml of the reagent and dilute to 10 ml with water.

(Many other versions exist: See Svenson & Verpoorte; false positives are not uncommon.)

Dragendorff precipitates are said to be decomposed by Sodium carbonate and the base recoverable by extraction with ether (or similar solvent). Cannell 1998: p. 356

Ehrlichs: See *p*-Dimethylaminobenzaldehyde

Fluoranil:

A solution of 1% Fluoranil in Acetonitrile. Freshly prepared before use.

Fluorescamine:

Solution of 0.02% Fluorescamine in anhydrous acetone. View under UV.

FPN reagent:

Mix 5 ml of Ferric chloride solution, 45 ml of 20% w/w solution of Perchloric acid and 50 ml of 50% v/v solution of Nitric acid.

Dissolve sample in minimum volume of 2M Hydrochloric acid and add equal volume of reagent.

Fröhde's reagent:

A solution of 1% Ammonium molybdate in concentrated Sulfuric acid. If used for tlc; the developed chromatogram is first sprayed and then heated for 5 minutes at 105°C. Colors develop immediately.

[From CRC Handbook: Also known as Sulfomolybdic acid reagent: Dissolve 10 grams of Molybdic acid or sodium molybdate in 100 ml of concentrated Sulfuric acid.]

Gibbs' reagent:

(No reaction with mescaline) Cannot be used in systems containing diethylamine.

From Svenson and Verpoorte 1983

Solution 1: Aqueous Sodium acetate (10%)

Solution 2: 2,6-Dibromo-*p*-benzoquinone-4-chlorimide in Ethanol (1% solution)

First spray with solution 1 then with solution 2.

or As 2,6-Dichloro-*p*-benzoquinone-4-chlorimide in Methanol (0.25% solution)

or As 2,6-Dichloro-*p*-benzoquinone-4-chlorimide in Methanol (2% solution)

In this latter case the chromatogram should be observed 15 minutes after spraying and after heating on a hot plate.

From Erspamer *et al.* 1967:

0.05-0.1% alcoholic solution of Dichloroquinone chlorimide (followed by Sodium carbonate.

From Gasparic & Churacek 1978:

0.4% 2,6-Dibromoquinone-4-chlorimide in methanol (prepared immediately before use)

After spraying expose to ammonia vapor or spray with 10% Sodium carbonate solution.

From Smith 1969:

0.05% 2,6-Dichlorobenzonquinone-4-N-chloro-imine in absolute alcohol [In dark is stable for 2 weeks.]

This is applied and allowed to dry. It is then followed with Sodium borate buffer 4.75 g. per cent at pH 9.3.

HNS:

(No reaction with mescaline) From Heacock & Forrest 1973; Saturated solution of 2,2',4,4',6,6'-Hexanitrobenzene in acetonitrile. Freshly prepared before use.

Iodoplatinate

(Potassium iodoplatinate): (Many other versions exist. All should be prepared just prior to use.)

Mix 3 ml. of 10% aqueous Hexachloroplatinic acid solution with 97 ml of Water and 100 ml of 6% aqueous Potassium iodide solution.

Or Mix 5 ml. of 5% aqueous Hexachloroplatinic acid solution with 45 ml of 10% aqueous Potassium iodide solution and dilute to with 100 ml with Water.

From Schnoll *et al.* 1972:

Using Iodoplatinate reagent as their final spray (after Ninhydrin and HNO_3 tests):

250 mg. Platinic chloride and 5 gm Potassium iodide dissolved in 100 ml. distilled Water.

From Brown *et al.* 1972:

They lightly sprayed with IPA (Iodoplatinate); which was made of equal parts of 0.3% Hexachloroplatinic acid and 6% aqueous Potassium iodide. Colors allowed to develop 5 minutes.

From Touchstone 1992:

Solution A: 5% Platinic chloride in water.

Solution B: 10% aqueous KI

Mix 5 ml of A with 45 ml of B and dilute to 100 ml with water.

Addition of hydrochloric acid as 1 to 10 parts of solution will increase sensitivity.

From Van Welsum 1973: 10 ml of 10% Hexachloroplatinic acid is combined with 250 ml of Potassium iodide (4% aqueous solution); this is then diluted with distilled water to 500 ml.

Acidified Iodoplatinate:

(Many versions exist. All should be prepared immediately before use.)

Mix 5 ml of 5% aqueous Hexachloroplatinate with 45 ml of 10% aqueous Potassium iodide and dilute to 100 ml with Water. Add 10 ml of concentrated Hydrochloric acid to the 100 ml of solution immediately before spraying.

or Mix 5 ml of 5% aqueous Hexachloroplatinate with 45 ml of 10% aqueous Potassium iodide, 50 ml of Water and 100 ml of 2M Hydrochloric acid.

From Smith & Seakins:

9 grams of Potassium iodide are first dissolved in 200 ml of distilled water and then 10 ml of Chloroplatinic acid is added. Three volumes of this solution are added to One volume of concentrated Hydrochloric acid. It should be mixed with the acid just before spraying.

Reacts with a wide variety of alkaloids and compounds from indoles, caffeine and methaqualone to benzodiazepines.

Can be applied after Ninhydrin and Dragendorff's.

Liebermann's Test:

Add 5 grams of Sodium nitrate to 50 ml of Sulfuric acid with cooling and swirling to absorb the brown fumes. (Brown fumes are highly toxic and may produce death up to 24 hours later. This is true of all nitrogen oxides except nitrous. Use a hood or other forced air local ventilation.)

Add 2 or 3 drops of the reagent to the sample on a piece of white tile (unglazed). Occasionally it is necessary to carry out the test in a tube and heat in a waterbath at 100° C.

Marquis Test:

Mix 1 volume of Formaldehyde solution with 9 volumes of Sulfuric acid.

Add a drop of the reagent to the sample on a white tile.

Marquis reagent:

Mix 2 ml of 40% Formaldehyde (in water) with 100 ml of 55% Sulfuric acid. After spraying, heat for 15-30 minutes at 105-110° C.

Mandelin's reagent:

A solution of 1% Ammonium vanadate in concentrated Sulfuric acid.

Mayer's reagent:

From CRC Handbook: Dissolve 1.358 grams of $HgCl_2$ in 60 ml of water and pour into a solution of 5 grams of KI in 10 ml of water. Bring up to 100 ml with water. Forms a white precipitate with most alkaloids.

Millon's reagent:

From CRC Handbook: Dissolve 1 part Mercury in 1 part cold fuming Nitric acid.

Dilute with twice the volume of water. Let stand for several hours and decant the clear solution.

From Clarke's: 3 ml of mercury is dissolved in 27 ml of fuming nitric acid. Add an equal volume of water with stirring.

To test- Add 0.5 ml of reagent to sample with warming. Red or orange indicates phenolic. Not all phenolics react.

Ninhydrin:

Dissolve 0.5 grams of Ninhydrin in 40 ml of Acetone.

Dissolve the sample in Methanol, place 1 drop of the solution on a filter paper, add one drop of the reagent and dry in a current of hot air. [Many versions exist. Most require brief heating after spraying. Ninhydrin can give false positives with many substances including proteins.]

***p*-Dimethylaminobenzaldehyde:**

(No reaction with mescaline. Gives red, purple or blue color with indoles (also reacts with pyrroles). Many other versions exist See Tryptamine assays in Trout's Notes FS-X7; Ehrlich's itself has a number of formulations but can be commercially obtained already prepared.)

0.5 gm. in 50 ml of Ethanol-Sulfuric acid (6:4) - freshly prepared

or 1 gram dissolved in 100 ml made from equal parts of 36% Hydrochloric acid and Water. This latter formulation should be heated at 50° C for 2-3 minutes after spraying.)

Sulfuric acid Test:

From Clarke's Second:

Concentrated Sulfuric acid is applied directly to the sample on a white tile or in a test tube. (Check also under UV)

Dilute Sulfuric acid:

From Clarke's Second:

10% w/w Sulfuric acid is prepared by carefully mixing 104 grams of concentrated Sulfuric acid to 896 grams of Water and allowing it to cool.

Sulfuric acid-Ethanol spray:

From Van Welsum 1973.

Concentrated Sulfuric acid and 96% Ethanol are combined in equal parts. Clarke's used as 10 ml of conc. Sulfuric acid gradually added to 90 ml of Ethanol.

TACOT:

(No reaction with mescaline) From Heacock & Forrest 1973; 0.01 gram of Tetranitro-2,3:5,6-dibenzo-1,3a,4,6a-tetra-azapentalene in 100 ml of Acetonitrile. Freshly prepared.

TCBI :

Dissolve N,2,6-Trichloro-*p*-benzoquinone imine (0.1 grams) in a Chloroform-Dimethyl sulfoxide (9:1) solution that has been saturated with Sodium hydrogen carbonate. [Stable for 4 months if kept in brown glass at 4° C.] After spraying chromatogram, heat 1-2 minutes at 110° C.

Vinson & Hooyman 1975 recommended 1-2 minutes at 110° C. Solution is said to be yellow. Ammonia or strong basic vapors can darken it. Darkened or discolored solutions should be discarded.

TCNE:

(No reaction with mescaline) From Heacock & Forrest 1973; 1 gram of Tetracyanoethylene in 100 ml of Acetonitrile (w/v). Freshly prepared before use.

TetNF:

(No reaction with mescaline) From Heacock & Forrest 1973; 1 gram of 2,4,5,7-Tetranitro-9-fluorenone in 100 ml of Acetonitrile. Freshly prepared before use.

Tetrazotized Benzidine:

From Smith 1969

[Note: Triturate = grind together well in a mortar and pestle.]

Benzidine (0.5% in dilute hydrochloric acid): 1 volume

$NaNO_2$ (10% in water): 1 volume

Equal volumes are mixed to form a bright yellow, clear solution.

[Benzidine is prepared by triturating 5 grams of benzidine with 15 ml. of 12N hydrochloric acid and dissolving the resulting suspension in 980 ml of water; (the resulting solution is stable for one week.)]

TNB:

(No reaction with mescaline) From Heacock & Forrest 1973;

1 gram of 1,3,5-Trinitrobenzene in 100 ml of Acetonitrile.

Freshly prepared before use.

TNF:

(No reaction with mescaline) From Heacock & Forrest 1973;

1 gram of 2,4,7-Trinitro-9-fluorenone in 100 ml of Acetonitrile.

Freshly prepared before use.

Other reagents and versions of some of the above can also be found in the assay approaches in the next section.

Many require a knowledge of safe chemical handling procedures. For example any strong acid solution applied as a spray can cause serious injury to lungs or mucous membranes. Other reagents often involve extremely poisonous materials. Some are dangerous to breathe or to allow skin contact with. Be careful. Use adequate ventilation and rubber gloves.

Advantage of using potassium iodoplatinate

This reagent offers some convenience.

a) It is about as sensitive as Dragendorff's (0.01 to 0.1 μg can be detected.)

b) It is nondestructive; allowing the material on the chromatogram to be recovered if desired.

Formamide impregnated plates will interfere with alkaloid detection but this can be overcome by spraying with 0.25% Sodium nitrite in 0.5% Hydrochloric acid to convert the formamide into formic acid which will not interfere with Potassium iodoplatinate reagent.

If the blue-violet background resulting from the presence of starches interferes with viewing of developed colors, it can be decolorized by spraying with a sodium hydrogen sulfite solution.

Use of diethylamine in a solvent system will decrease the sensitivity of both Dragendorff's and Potassium Iodoplatinate reagents due to a darkening of the background. Gibbs reagent cannot be used in systems containing diethylamine.

Svendsen & Verpoorte (1983)

To recover alkaloids from tlc plates after using Potassium iodoplatinate

(if using another reagent it is crucial that one determine it does not react irreversibly with the alkaloid in order to produce a chromophore!)**:**

1) Dry plate.
2) Scrape off spot containing alkaloid and place into a test tube.
3) Add a few drops of a Sodium sulfite solution (saturated) and then 1 ml. of 0.5N Sulfuric acid. (If necessary, the mixture can be heated to decolorize the solution.)
4) Saturated the resulting solution with Sodium chloride.
5) Basify solution with a strong ammonia solution.
6) Extract with Butanol-Chloroform (1:9) or Chloroform or Diethyl ether to recover the alkaloid.

A recovery rate of 70-80% can be expected for primary and secondary amines.

Svendsen & Verpoorte 1983 citing Holdstock & Stevens 1975

[Dragendorff precipitates can also be recovered & the base regenerated. See under Dragendorff reagent above.]

Trichocereus validus
(SS)

Abstracts of useful assay approaches

Brown *et al.* (1972) *Journal of Chromatography* 64: 129-133 presented an interesting screening which may have usefulness not only for cacti but for evaluating samples presented as mescaline.

We omit most of their screening procedure but include data for some previously identified ersatz components that may be present in black-market street materials.

Their sample was dissolved in 95% ethanol for application.

Using silica gel plates without a fluorescent marker (Merck, 0.25 mm; they used 5x20 and also 20x20), they activated by heating the plates (before use) at 105° for 60 minutes and then stored in a desiccator over silica gel to keep dry.

Their solvent was Ethyl acetate-*n*-Propanol-28% Ammonium hydroxide (40:30:3).

Plates were allowed to develop around 70 minutes (solvent traveled 10 cm.) and they then first checked under a UV light (254 nm) for fluorescence and then lightly sprayed with IPA (iodoplatinic acid); which was made of equal parts of 0.3% hexachloroplatinic acid and 6% aqueous potassium iodide. Colors were allowed to develop 5 minutes.

Alkaloid	**Fluor.**	**Rf**	**Color with IPA**
Mescaline	None	0.27	Purple
LSD (Pure)	Blue	0.69	Purple
LSD (Street)	Blue	0.69	Purple-brown
(LSD is the only one which reacts with Ehrlich's)			
Methamphetamine	None	0.37	Blue
Amphetamine	None	0.49	Blue
PCP	None	0.79	Dark purple turning purple-blue in few hrs.
Strychnine	None	0.32	Purple-blue

Farmilio & Genest 1961 mentioned some interesting trivia:

While strychnine, alone, is not fluorescent under uv (in chromatography); it does form modified products which do fluoresce under uv:

Reagent	Treated strychnine (color under UV)
Saturated methanolic $(NH_4)_2SO_4$	Gray
Saturated aqueous Borax solution	Gray
Nitric acid (HNO_3) (SG 1.04)	Weakly orange
5% Potassium hydroxide in 50% ethanol	Blue

Solvent systems: (All using Silica Gel G; dipped in or sprayed with 0.1M potassium hydroxide in methanol and dried.)

A: Methanol-concentrated Ammonium hydroxide (100:1.5)

B: Cyclohexane-Toluene-Diethylamine (75:15:10)

C: Chloroform-Methanol (90:10)

Alkaloid	Solvent System (Rf)		
	A	B	C
Mescaline	0.20	0.04	0.10

Schnoll *et al.* (1972) *Journal of Psychedelic Drugs* 5 (1): 75-78:

Using Silica Gel G with fluorescence indicator

Solvent Systems:

1 Concentrated Ammonium hydroxide-*p*-Dioxane-Acetone (2.5:45:5.5) (v/v)

2 Concentrated Ammonium hydroxide-Chloroform-*p*-Dioxane-Acetone (2.5:45:4:47.5) (v/v)

Procedure:

Rf values determined in solvent system 1, allowed to front at 10 cm for 5 minutes.

They first examined the plate under uv, recording fluorescence and quenching at 254 nm (short-wave) and fluorescence at 366 nm (long-wave)

It was then placed in a tank with a HNO_3 atmosphere for 3 minutes (noting any color changes)

It was then sprayed with ninhydrin and placed in an oven at 100° C for 5 minutes.

Ninhydrin spray reagent (500 mg. Ninhydrin in 100 ml of acetone)

They used iodoplatinate reagent as the final spray.

Iodoplatinate spray reagent (250 mg. Platinic chloride and 5 gm Potassium iodide in 100 ml. distilled Water

Sample also run using solvent system 2

UV

254 nm	366 nm
Quenching	(a)

Rf ± SD

Solvent 1	0.22±.03
Solvent 2	0.39± .07

Reagents	**Color**
HNO_3 atm.	Orange
Ninhydrin	Orange (b)
Iodoplatinate	Purple

a: If peyote extract, will show blue-green fluorescence at both 254 and 366 nm

b: Normally Ninhydrin gives purple with mescaline. Orange is from prior HNO_3 exposure

Sensitivity between 1 and 10μg

Genest & Hughes (1968) *The Analyst* 93 (1109): 485-489:

Using plates of Silica Gel G (Merck) or Alumina G (Merck). Plates were activated before use by heating at 110° C for one hour.

Solvent Systems:

A. Ethyl methyl ketone-Dimethylformamide-Ammonia solution (sp. gr. 0.90) (13:1.9:0.1) on Silica Gel G

B. Methanol-Chloroform (1:1) on Alumina G.

C. Chloroform-Methanol-Acetic acid (75:20:5) on Silica Gel G.

Reagents:

Plates were first sprayed lightly with 10% aqueous Sodium acetate, then immediately with 2,6-dibromo-p-benzoquinone-4-chlorimine (B.D.H. Ltd.) (one per cent in ethanol), carefully avoiding overspray.

They then were placed in a tlc tank containing 2 grams of iodine crystals; distributed in two small Petri dishes placed at the bottom (iodine vapor atmosphere). Colored spots appeared promptly.

Plates which had been run in solvent system C were aerated until the acidic background had become dispelled and were then sprayed twice with the aqueous Sodium acetate before application of the 2,6-dibromo-p-benzoquinone-4-chlorimine and exposure to iodine vapors.

The sodium acetate solution and 2,6-dibromo-*p*-benzoquinone-4-chlorimine remained useable for 5 days if kept refrigerated.

Mescaline:

Solvent system[Rf: SD = ± 0.02]			Color
A	B	C	
0.49	0.24	0.20	Yellow

Neff *et al.* (1964) *Journal of Pharmacology and Experimental Therapeutics* 144 (1): 1-7:

Ascending chromatography using Whatman no. 1 paper

Mescaline gave a purple color with ninhydrin and no reaction with Gibbs stain (used for phenolics)

Mescaline:

System	Rf
1-Butanol-Glacial Acetic acid-Water (4:1:5)	0.74
Benzene-glacial Acetic acid-Water (2:1:1)	0.36
2-Propanol-NH_4OH-Water (20:1:2)	0.92

[Application of a modified Procházka reagent and observation of fluorescence under UV is said to have "*considerably improved*" identification of mescaline. (See Seiler & Wiechman (1964) *Hoppe-Seyler's Z. Physiol. Chem.* 337: 229.) .]

Todd (1969) *Lloydia* 32 (3): 395-398:

Using plates of Brinkman Silica Gel H buffered to pH 9.2 and dried at room temperature for at least 12 hours before use. [Alkaloids were applied as methanol solutions.]

Solvent systems:

1. Chloroform-*n*-Butanol-concentrated Ammonium hydroxide (8:8:0.4).
2. 2-Butanone-N,N-Dimethylformamide-concentrated Ammonium hydroxide (13:1.9:0.1).

[Solvent system one was preferred over system two. System one took longer to develop but spots remained small and did not elongate as was seen in solvent system two.]

Indicators:

DMB (tetrazotized 3,3'-Dimethoxybenzidine) (4 μg sensitivity)

Dansyl chloride (1-Diethylaminonaphthalene-5-sulfonyl-chloride)

[DMB was more rapid and sensitive for all peyote alkaloids except lophophorine (5-10 minutes with DMB and 1-24 hours with dansyl).]

Lundstrom & Agurell (1967) *Journal of Chromatography* 30 (1): 269-270

Using Silica Gel G chromatoplates.

Solvent Systems:

A Chloroform-Ethanol-Diethylamine (85:5:10 by volume)
B Chloroform-Ethanol-Diethylamine (85:10:5)
C Chloroform-Ethanol-conc. NH_3 (85:15:0.4)
D Chloroform-*n*-Butanol-conc. NH_3 (50:50:2.5)
E Pyridine-conc. NH_3 (90:10)

Alkaloid	Solvent System			Color reaction
	A	B	E	
Phenolic				
Anhalamine	0.11	0.20	0.40	Purple
N-Methyltyramine				
	0.31	0.31	0.32	Yellow
Anhalonidine	0.34	0.33	0.42	Yellow
Tyramine	0.39	0.51	0.51	Purple
Hordenine	0.51	0.56	0.60	Yellow
Anhalidine	0.55	0.65	0.72	Purple
Pellotine	0.63	0.70	0.69	Purple
Mescaline	0.24	0.31	0.36	Brown
Anhalinine	0.30	0.41	0.48	Yellow
O-Methylanhalonidine				
	0.33	0.45	0.50	Yellow
Anhalonine	0.45	0.58	0.56	Yellow
Lophophorine	0.68	0.80	0.72	Blue gray
N-Acetyl-mescaline				
	0.82	0.95	0.68	Pale brown
	C	D	E	

Color reagent: O-Dianisidine reagent

O-Dianisidine Reagent: (equal volumes of 0.5% o-dianisidine in dilute HCl and 10% $NaNO_2$ in water. This reagent produces a red color with phenolic tetrahydroisoquinolines and a yellow or brown, fading color with non-phenolic alkaloids.)

Ranieri & McLaughlin (1975) *Journal of Chromatography* 111: 234-237:

Used Silica Gel Plates (Baker-flex 1B or 1B2-F)

Solvent system: (Rf values not given)

Diethyl ether-Methanol- Ammonium hydroxide (58%) (17:2:1)

Alkaloid	Fluorescamine (Under UV)	Dns-Cl (UV)	Iodoplatinate (Visible)
Primary amines:			
β-Hydroxy-mescaline	Aquamarine	Aquamarine	Yellow-brown
Mescaline	Aquamarine	Aquamarine†	Yellow-brown
β-Phenethylamine	Aquamarine	Aquamarine	Yellow-brown
Tyramine*	Aquamarine	Aquamarine	Yellow-brown

† Would form yellow (under UV) conjugate if Dansyl-Cl was used alone.

* = Phenolic compound.

Alkaloid	Fluorescamine (Under UV)	Dns-Cl (UV)	Iodoplatinate (Visible)
Secondary amines:			
Anhalonidine	Dark purple	Yellow	Yellow-brown
Metanephrine*	Dark purple	Yellow	Yellow-brown
N-Methylmescaline	Dark purple	Yellow	Yellow-brown
N-Methylphenethylamine	Dark purple	Yellow	Yellow-brown
N-Methyltyramine*	Dark purple	Yellow	Yellow-brown
Salsolidine	Dark purple	Faint yellow	Yellow-brown
Salsoline*	Dark purple	Yellow	Yellow-brown
Synephrine*	Dark purple	Yellow	Yellow-brown
Tertiary amines:			
Carnegine	—	—	Purple
Corypalline	—	Yellow	Purple
N,N-Dimethyl-phenethylamine	—	—	Purple
Hordenine	—	Yellow	Purple
Lophophorine	—	—	Purple
Quaternary amine:			
Candicine	—	Yellow	Purple
Amide:			
N-Acetylmescaline	—	—	—
Imidazoles:			
Dolichotheline	—	Yellow	Yellow
Histamine	Aquamarine	Aquamarine	Yellow
Histidine	Aquamarine	Aquamarine	Yellow

* = Phenolic compounds.

Procedure:

After developing plates they first sprayed with fluorescamine (4-phenylspiro[furan-2(3H),1'-phthalen) (Fluram, Roche) and viewed under uv. Primary amines produce conjugates that are highly fluorescent under UV and are stable for several hours. They react almost immediately at room temperature and at a pH greater than 7. Secondary amines react similarly but appear dark purple under UV. Tertiary amines do not react. [Mescaline is a primary amine.]

They then oversprayed with 5-dimethylaminonaphthalene-1-sulfonyl chloride (dansyl chloride; i.e. Dns-Cl) (to confirm secondary amines which changed from a quenched dark purple to yellow under UV) The yellow or aquamarine colors seen with primary amines are not changed by overspraying with Dns-Cl.

This was followed by TZB (tetrazotized benzidine) or iodoplatinate reagent which form colored complexes with most cactus alkaloids but do not differentiate between the functional groups present.

Kapadia *et al.* (1968) *Journal of Pharmaceutical Sciences* 57 (2): 254- found that 0.1% aqueous tetrazotized *dl*-O-anisidine (TDA) gave a yellow color with phenolic phenethylamines and violet with phenolic tetrahydroisoquinolines. Colors were intensified with subsequent spraying of chromatograms with 2% aqueous sodium carbonate. Also has Rf of some in 5 solvent systems

McLaughlin & Paul (1966) Lloydia 29 (4): 315-327:

Using Silica Gel H at pH 9.2

Solvent system:

2-Butanone-N,N-Dimethylformamide-concentrated Ammonium hydroxide (13:9:0.1) with a 35 min. development time.

Used dansyl chloride to visualize. (1-dimethylaminonaphthalene-5-sulfonyl chloride; 0.05% in acetone) (All except N-Acetylmescaline showed bright yellow-orange fluorescence on a light blue background under UV)

The non-specific reagent antimony pentachloride (20% in chloroform) was used to visualize N-acetylmescaline (pale gold color)

Alkaloid	Rf
Anhalinine HCl	0.22 ± 0.02
Anhalonine HCl	0.49 ± 0.02
Lophophorine HCl	0.82 ± 0.02
Mescaline HCl	0.55 ± 0.02
N-Acetylmescaline	0.72 ± 0.01
N-Methylmescaline	0.10 ± 0.01
O-Methylanhalonidine	0.34 ± 0.01

They had used a -OH ion exchange resin to separate phenolic from nonphenolic alkaloids before chromatographing.

Tetrazotized benzidine was used to locate phenolic alkaloids and differentiate between *p*-hydroxyphenols (yellow color) and 8-hydroxytetrahydroisoquinolines (red color). They ran the phenolic fraction in 5 different solvent systems.

Fischer (1958) *Revue Canadienne de Biologie* 17 (3): 389-409:

Using No. 2 Whatman paper and, after drying, Ninhydrin to visualize.

Mescaline:

Solvent system	Rf
Butanol-Acetic acid-Water (4:1:5)	0.71
Isopropanol-aq. Ammonia-Water (8:1:1)	0.76

The second system took a shorter time to develop.

[The first system originated with Partridge 1946 and the second system with Armstrong *et al.* 1956]

Ma *et al.* (1986) *Journal of Natural Products* 49 (4): 735-737.

Using Silica Gel (Merck F-254)

Solvent systems used: (Rf values not given.)

1. Acetone-Diethyl ether-Methanol-concentrated Ammonium hydroxide (6:6:5:1)
2. Diethyl ether-Methanol-concentrated Ammonium hydroxide (8:4:1)
3. Chloroform-Ethanol-concentrated Ammonium hydroxide (7:7:1)

Primary amines showed characteristic yellow-green UV fluorescence after spraying with fluorescamine.

Overspraying with tetrazotized benzidine or iodoplatinic acid reagents then produced visual chromophores.

Spectrophotometry and other approaches

Nieforth 1971 commented that spectrophotometric analysis may be performed on mescaline in unadulterated systems by using concentrations of approximately 20 mg/ 100 ml and assaying directly by scanning from 330 to 248 nm (blank with water at 330 nm first). The maximum absorbance of mescaline occurs at approximately 268 nm. (This should be compared to a standard solution of either the hydrochloride or sulfate.)

Nieforth's lab also found their best results using the procedure described by Woods *et al.* 1951. After extraction with chloroform, the solution is passed through a column of powdered sucrose and mixed with a solution of Bromocresol in chloroform. The yellow solution which results from this is determined at 410 mμ in a spectrophotometer. The optical density of mescaline (at 5μg/ml in chloroform) is 0.480.

Salomon & Bina 1946 estimated mescaline concentrations by extracting from an aqueous solution with butanol and quantifying based on the intensity at its absorption peak. Dessi & Franco 1969 used the Beyer and Skinner reaction for photometric determinations of mescaline. After treatment of an aqueous mescaline solution with *p*-nitrophenyldiazonium chloride, the solution was made alkaline and the wave-length for maximum absorption determined. Maximum absorbance of mescaline using this procedure was found to be 510 mμ in aqueous solution (530 mμ in a butanol solution.]

Patel notes that Vistoli 1955 improved this method. Patel also mentions that the assay described by Seiler & Wiechmann can be used for fluorimetric determination of mescaline either in solution or on tlc plates. This relies on conversion of mescaline into an isoqinoline having an intense green fluorescence.

Bell & Somerville 1966 used fluorimetry to identify and then quantify alkaloids and biologically interesting amines. This was based on a reaction that some alkaloids (catecholaminess and others) have with formaldehyde when in the presence of a dry protein film. The material being examined was first spotted on paper and dried. After spraying with 5% glycine the pH was adjusted to 3 with hydrochloric acid and oven-dried at 80°C. The paper was then suspended in a 3 liter Kilner jar containing *para*-formaldehyde moistened with water (20 and 1 gram respectively). It was then heated for 3 hours at 80° after which time the paper was observed under UV (360 nm). Fluorescent compounds detected were eluted from the paper with 0.1N HCl and measured in a spectrophotofluorimeter to estimate amounts. (by comparison to standard curve with known concentrations) They found it to have a 1 μg limit of sensitivity with mescaline. It was several orders of magnitude more sensitive for *some* other phenethylamines and indoles. DMPEA was detectable at 30 ñg (0.03 μg) and was said to have a bright ice blue fluorescence ; (λ_{max} : activation at 360 and fluorescence at 470 μm.) This would be an easy way to distinguish mescaline from DMPEA or to see if they were co-chromatographing in a given sample.

Cohen & Vogel 1970 used dansyl chloride to quantitatively assay mescaline (as its borate). They used a spectrofluorophotometer; reading the absorbance at 490 nm (activation 338 nm) After extraction with toluene, the toluene was shaken with 0.5M boric acid (1.5 ml per 25 ml of toluene) for ten minutes. The solution was centrifuged for 20 minutes and 1 ml of the boric acid solution was mixed with 1 ml of 0.1M borax solution and 0.02 ml of dansyl-chloride (10 mg/ml of acetone). This was then heated for 15 minutes on a boiling water bath. After cooling it was shaken with 1.5 ml of chloroform for 10 minutes and the resulting organic phase had its absorbance read.

An interesting assay using spiders was described by Witt and coworkers. It relies on the fact that small doses of LSD increases complexity and improves accuracy of the angles in webs which are woven under the influence while mescaline decreases both the complexity and the exactitude. Witt and Patel's observations that this assay is too complicated for routine use is at the least an understatement. A surprising volume of work has been done concerning web weaving and hallucinogens. See more information in our forthcoming work on mushroom alkaloids. An interesting mislabeling of pictures has appeared, and has been reproduced in a variety of places in the more mainstream scientific and popular scientific journals, where the photographs of webs spun before and after LSD were either accidently or deliberately switched to support the authors' assertions that LSD caused a decrease in web spinning accuracy.

See Witt 1951 & 1956
Also Bazanté 1968 & 1969 & 1971 and Christianson *et al.* 1962

An interesting but not surprising observation, made by Christiansen and coworkers, was that spiders given dosage levels of **6 grams** per kg of psilocybin or **5 grams** per kilogram of mescaline did not build webs. (Only 5% of the spiders given 600 mg per kg of psilocybin built webs. Also, not a surprise. Perhaps it is more surprising that 5% of them COULD build webs)

A variety of applications could be made based on the work of Van Vunakis *et al.* 1969, who found that mescaline could act as a hapten and elicit the production of antibodies specific for the 3,4,5-trimethoxyphenyl group. Development of an immunological assay [ELISA or similar] would allow incredibly sensitive and rapid assays of mescaline in all types of materials (no doubt already done, as it is several decades after their observation).

A simple, easy to use and very accurate field screening assay for mescaline could be readily and easily developed. (It would probably fail to differentiate between mescaline and its N-methyl, N,N-dimethyl- or N-acetyl- analogs but simple assays exist to differentiate primary from other amines.)

***Astrophytum* cv. Onzuka**

Retention times reported in Gas Chromatography

Alkaloid	A	B	C	D	E	F	G	H
4-Methoxyphenethylamine	*na*	*na*	1.5	2.1	0.9	1.0	0.7	*na*
Tyramine	5.12	5.09-5.18	1.8	*na*	4.6	1.2	3.5	*na*
N-Methyltyramine	5.58	5.30-5.35	2.2	*na*	4.4	1.3	3.3	*na*
Hordenine	5.87	5.69-5.90	2.4	*na*	3.4	1.4	2.8	*na*
N,N-Dimethyl-3-methoxy-4-hydroxyphenethylamine								
	6.70	*na*	*na*	*na*	*na*	*na*	*na*	*na*
3,4-Dimethoxyphenethylamine	*na*	*na*	3.5	5.4	2.8	1.8	2.6	1.44
N-Methyl-3,4-dimethoxyphenethylamine								
	7.00	*na*	*na*	*na*	*na*	*na*	*na*	*na*
3,5-Dimethoxy-4-hydroxyphenethylamine								
	10.12	*na*	*na*	*na*	*na*	*na*	*na*	*na*
Mescaline	9.15	9.18-9.20	6.8	11.1	6.6	3.3	5.2	3.38
N-Methylmescaline	9.56	9.55-9.62	8.0	11.3	6.2	3.2	5.0	2.90
N-Acetylmescaline	*na*	*na*	*na*	*na*	*na*	*na*	*na*	7.00
N,N,-Dimethylmescaline	*na*	9.84-9.86	8.5	11.7	5.6	4.0	4.0	*na*
O-Methylanhalidine	10.28	10.19-10.25	10.5	11.7	4.8	5.2	4.4	nd
Salsolidine	*na*	*na*	*na*	*na*	*na*	*na*	*na*	2.96
Anhalinine	10.58?3	10.47-10.54	11.3	17.5	7.8	5.6	6.8	4.16
O-Methylpellotine	11.01	*na*	*na*	*na*	*na*	*na*	*na*	3.00
O-Methylanhalinine	*na*	*na*	*na*	*na*	*na*	*na*	*na*	3.09
O-Methylanhalonidine	*na*	11.21-11.25	11.6	14.5	6.1	5.3	5.5	3.56
Anhalidine	11.81	11.81	12.0	*na*	8.4	5.6	6.9	4.44
Anhalamine	12.9	12.09	12.4	*na*	13.6	5.8	10.5	4.82
Anhalonidine	12.58	12.48-12.50	13.0	*na*	10.8	6.1	8.2	4.41
Pellotine	13.05	13.10	13.1	*na*	7.6	6.0	6.5	3.94
Anhalonine	14.70	14.7	14.2	22.1	9.5	6.8	8.0	5.20
Carnegine	*na*	*na*	*na*	*na*	*na*	*na*	*na*	2.63
Lophophorine	14.79	14.78	14.5	18.3	6.7	6.2	6.3	4.50

na means that data was not available

A: Štarha 1996 [CARLO ERBA INS HRGC 5160 with integrator CE INS DP 700, glass capillary column 30 m, diameter 0.32mm, phase DB-1 0.25µm.]
B: Štarha 1998 [CARLO ERBA INS HRGC 5160 with integrator CE INS DP 700, glass capillary column 30 m, diameter 0.32mm, phase DB-1 0.25µm.]
C-G: Lundström & Agurell 1968a
C: Varian Aerograph 204 (anal.)[1]: 5% SE-30, Gas Chrom. P, 150º
D: Varian Aerograph 204 (anal.): 7% F60-2% Z, Gas Chrom. P, 170º
E: Varian Aerograph 204 (anal.): 5% XE-60, Chromosorb. W, 150º
F: Varian Aerograph 202 (prep.)[2]: 5% SE-30, Chromosorb. W, 190º
G: Varian Aerograph 202 (prep.): 5% XE-60, Chromosorb. W, 184º
H: Kapadia & Rao 1965 [Chromalab GC; 1% Methylsiloxane, ~5% Phenyl subst., on Gas Chrom. P, 100-140 mesh, 180º]

1 Flame ionization detector
2 Thermal conductivity detector
3 Identity inferred from comparison with other of Dr. Štarha's papers. In this paper it was listed as Anhalamine. Anhalamine appears in the same list twice.

***Lophophora williamsii* in habitat (Mexico)**
Photo by Hjeran

Appendix: Cactus paper series

Jerry Loren McLaughlin

"The Cactus Alkaloids."

I McLaughlin, Jerry L. & Ara G. Paul (1966) *Lloydia,* 29 (4): 315-327. "The cactus alkaloids. I. Identification of N-Methylated Tyramine Derivatives in *Lophophora williamsii*."

II McLaughlin, Jerry L. & Ara G. Paul (1967) *Lloydia,* 30 (1): 91-99. "The Cactus Alkaloids. II. Biosynthesis of Hordenine and Mescaline in *Lophophora williamsii*."

III Rosenberg, H. *et al.* (1967) *Lloydia,* 30 (1): 100-105. "The Cactus Alkaloids. III. Phenylalanine, DOPA and DOPAmine as precursors to Mescaline in *Lophophora williamsii*." (H. Rosenberg, J.L. McLaughlin & A.G. Paul)

IV Below, L.E. *et al.* (1968) *Journal of Pharmaceutical Sciences,* 57 (3): 515-516. "Macromerine from *Coryphantha runyonii*." (L.E. Below, A.Y. Leung, J.L. McLaughlin & A.G. Paul)

V Braga, D.L. & J.L. McLaughlin (1969) *Planta Medica,* 17 (1): 87-94. "Cactus alcaloids.[sic] V. Isolation of hordenine and N-methyltyramine from *Ariocarpus retusus*."

VI McLaughlin, Jerry L. (1969) *Lloydia,* 32 (3): 392-394. "Cactus alkaloids. VI. Identification of hordenine and N-Methyltyramine in *Ariocarpus fissuratus* varieties *fissuratus* and *lloydii*."

VII Speir, W.W. *et al.* (1970) *Lloydia,* 33 (1): 15-18. "Cactus alkaloids. VII. Isolation of hordenine and N-methyl-3,4-dimethoxy-β-phenethylamine from *Ariocarpus trigonus*." (W.W. Speir, V. Mihranian & J.L. McLaughlin)

VIII Norquist, D.G. & J.L. McLaughlin (1970) *Journal of Pharmaceutical Sciences,* 59 (12): 1840-1841. "Cactus alkaloids. VIII. Isolation of N-methyl-3,4-dimethoxy-β-phenethylamine from *Ariocarpus fissuratus* var. *fissuratus*."

IX Neal, J.M. & J.L. McLaughlin (1970) *Lloydia,* 33 (3): 395-396. "Cactus Alkaloids. IX. Isolation of N-Methyl-3,4-dimethoxy-β-phenethylamine and N-Methyl-4-methoxy-β-phenethylamine from *Ariocarpus retusus*."

X Neal, J.M. *et al.* (1971)b *Journal of Pharmaceutical Sciences,* 60 (3): 477-478. "Cactus alkaloids. X. Isolation of hordenine and N-methyltyramine from *Ariocarpus kotschoubeyanus*." (J.M. Neal, P.T. Sato, C.L. Johnson & J.L. McLaughlin)

XI Neal, J.M. *et al.* (1971)a *Economic Botany*, 25 (4): 382-384. "Cactus Alkaloids. XI. Isolation of Tyramine, N-Methyltyramine and Hordenine from *Obregonia denegrii*." [also CA (1972) 76: 151035]. (J.M. Neal, P.T. Sato & J.L. McLaughlin)

XII Hornemann, K.M. Kelley *et al.* (1972) *Journal of Pharmaceutical Sciences,* 61: 41-45. "Cactus Alkaloids XII. β-Phenethylamine Alkaloids of the Genus *Coryphantha*." (K.M. Kelley Horneman, J.M. Neal & J.L. McLaughlin)

XIII Keller, William J. & Jerry L. McLaughlin (1972) *Journal of Pharmaceutical Sciences,* 61 (1): 147-148. "Isolation of (-)-normacromerine from *Coryphantha macromeris* var. *runyonii*."

XIV Neal, J.M. *et al.* (1972) *Science,* 176: 1131-1133. "Peyote alkaloids: Identification in the Mexican cactus *Pelecyphora aselliformis*." (J.M. Neal, P.T. Sato, W.N. Howard & J.L. McLaughlin.)

XV Keller, W.J. *et al.* (1973)a *Journal of Pharmaceutical Sciences,* 62 (3): 408-411. "Cactus Alkaloids. XV. β-Phenethylamines from *Coryphantha macromeris* var. *runyonii*." (W.J. Keller, J.L. McLaughlin & L.R. Brady.)

XVI Sato, P.T. *et al.* (1973) *Journal of Pharmaceutical Sciences* 62 (3): 411-414. "Cactus Alkaloids. XVI. Isolation and identification of alkaloids in *Coryphantha ramillosa*." (P.T. Sato, J.M. Neal, L.R. Brady & J.L. McLaughlin)

XVII J.M. Neal & J.L. McLaughlin (l972) *Journal of Chromatography,* 73: 277-278. "Cactus Alkaloids. XVII. Thin-Layer Chromatography of Dansylated Nonphenolic β-Phenethylamines,"

XVIII West, Leslie G. & Jerry L. McLaughlin (1973) *Lloydia,* 36 (3): 346-348. "Cactus Alkaloids. XVIII. Phenolic β-Phenethylamines from *Mammillaria elongata*."

XIX Crosby, D.M. & J.L. McLaughlin (1973) *Lloydia,* 36 (4): 416-418. "Cactus Alkaloids. XIX. Crystallization of Mescaline HCl and 3-Methoxytyramine from *Trichocereus pachanoi*."

XX Keller, W.J. *et al.* (1973)b *Lloydia,* 36 (4):397-409. "Cactus Alkaloids. XX. The biosynthesis of catechol-O-methylated β-hydroxyphenethylamines (normacromerine and macromerine) in *Coryphantha macromeris var. runyonii*." (W.J. Keller, L.A. Spitznagle, L.R. Brady & J.L. McLaughlin)

XXI Dingerdissen, J.J. & J.L. McLaughlin (1973)a *Journal of Pharmaceutical Sciences,* 62 (10): 1663-1666. "Cactus Alkaloids. XXI. β-Phenethylamines from *Dolichothele sphaerica*."

XXI Dingerdissen, J.J. & J.L. McLaughlin (1973)c *Lloydia* (Proceedings), 439-440. "Cactus Alkaloids. XXI. β-Phenethylamines from *Dolichothele sphaerica*."

XXII Dingerdissen, J.J. & J.L. McLaughlin (1973)b *Lloydia,* 36 (4): 419-421. "Cactus alkaloids. XXII. *Dolichothele surculosa* and other *Dolichothele* species."

XXIII West, L.G. *et al.* (1974) *Phytochemistry,* 13 (3): 665-666. "β-Phenethylamines from the Genus *Gymnocactus*." (L.G. West, R.L. Vanderveen & J.L. McLaughlin)

XXIV West, L.G. *et al.* (1974)b *Phytochemistry,* l3, 866-867. "N-Methyltyramine from *Opuntia clavata*." (L.G. West, R.L. Vanderveen & J.L. McLaughlin)

XXV West, L.G. *et al.* (1975) *Phytochemistry,* 14: 291-292. "Pilocereine from *Lophocereus schotti* Formae *Monstrosus* and *Mieckleyanus*." (Leslie G. West, Jerry L. McLaughlin & W. Hubert Earle)

XXVI Lee, T.M. *et al.* (1975) *Lloydia,* 38 (3): 366-367. "Cactus Alkaloids. XXVI. Tyramine from *Azureocereus ayacuchensis*." (T.M. Lee, J.L. McLaughlin & W.H. Earle)

XXVII Ranieri, Richard L. & Jerry L. McLaughlin (1975)a *Journal of Chromatography,* 111: 234-237. "Cactus alkaloids. XXVII. Use of fluorescamine as a thin-layer chromatographic visualization reagent for alkaloids."

XXVIII Ranieri, R.L. & J.L. McLaughlin (1975)b *Lloydia* 38 (6): 537 (Proceedings.) "Cactus alkaloids XXVIII. β-Phenethylamines and Tetrahydroisoquinolines from *Dolichothele longimamma.*" [Same number as the following.]

XXVIII Ranieri, R.L. & J.L. McLaughlin (1976) Journal of Organic Chemistry 41 (2): 319-323. "β-Phenethylamines and Tetrahydroisoquinoline Alkaloids from the Mexican Cactus *Dolichothele longimamma*."

XXIX Ranieri, R.L. *et al.* (1976) *Lloydia* 39 (2-3): 172-174. "Cactus Alkaloids. XXIX. Isolation of β-phenethylamines from *Coryphantha greenwoodii*." (R.L. Ranieri, J.L. McLaughlin & G.K. Arp)

XXX Mata, R. *et al.* (1976)a *Lloydia* 39 (6): 461-463. "Cactus Alkaloids. XXX. N-Methylated Tyramines from *Trichocereus spachianus, T. candicans and Espostoa huanucensis.*" (Rachel Mata, Jerry L. McLaughlin & W. Hubert Earle)

XXXI Mata, R. *et al.* (1976)b *Lloydia* **39 (6): 480 (Proceedings.) "Cactus alkaloids. XXXI. N-methylated tyramines from *Trichocereus candicans, T. spachianus* and *Espostoa huanucensis.*" (R. Mata, W.H. Earle & J.L. McLaughlin)**

BOTH WITH SAME NUMBER????

XXXI Ranieri, R.L. & J.L. McLaughlin (1977) *Lloydia* **40 (2): 173-177. "Cactus Alkaloids. XXXI. β-Phenethylamines and Tetrahydroisiquinolines from the Mexican Cactus *Dolichothele uberiformis.*" CHECK THIS**

XXXII Howe, R.C. *et al.* (1977)a *Phytochemistry,* 16 (1): 151. "N-Methyltyramine and hordenine from *Mammillaria microcarpa.*" (Roberta C. Howe, Jerry L. McLaughlin & Duwayne Statz)

XXXIII Pummangura, S. *et al.* (1977) *Journal of Pharmaceutical Sciences* 66 (10): 1485-1487. "Cactus alkaloids. XXXIII. β-Phenethylamines from the Guatemalan cactus *Pilocereus maxonii.*" (S. Pummangura, D.E. Nichols & J.L. McLaughlin

XXXIV Howe, R.C. *et al.* (1977)b *Planta Medica,* 31 (3): 294-296. "Cactus alkaloids. XXXIV. Hordenine HCl from *Coryphantha vivipara* var. *arizonica.*" (Roberta C. Howe, Richard L. Ranieri, Duwayne Statz & Jerry L. McLaughlin)

XXXV Follas, W.D. *et al.* (1977) *Phytochemistry,* 16 (9): 1459-1460. "Phenethylamines from the cactus genus *Lobivia.*" (W.D. Follas, J.M. Cassady & J.L. McLaughlin)

XXXVI Pardanani, J.H. *et al.* (1977) *Lloydia* 40 (6): 585-590 "Cactus Alkaloids. XXXVI. Mescaline and related compounds from *Trichocereus peruvianus.*" (J.H. Pardanani, J.L. McLaughlin, R.W. Kondrat & R.G. Cooks.)

XXXVII Pardanani, J.H. *et al.* (1978) *Lloydia* 41 (3): 286-288 "Cactus Alkaloids. XXXVII. Mescaline and Related Compounds from *Opuntia spinosior.*" (J.H. Pardanani, B.N. Meyer, J.L. McLaughlin, W.H. Earle & R.G. Engard)

XXXVIII Unger, S.E. *et al.* (1980) *Journal of Natural Products,* 43 (2): 288-293. "Chemotaxonomy of Columnar Mexican Cacti by Mass Spectrometry/Mass Spectrometry." (S.E. Unger, R.G. Cooks, R. Mata & J.L. McLaughlin)

XXXIX Mohamed, Y.A.H. *et al.* (1979) *Journal of Natural Products,* 42 (2): 197-202 "Cactus Alkaloids. XXXIX. A glucotetrahydroisoquinoline from the Mexican cactus, *Pterocereus gaumeri.*" (Y.A.H. Mohamed, C.-J. Chang & J.L. McLaughlin)

XL Doetsch, P.W. *et al.* (1980) *Journal of Chromatography,* 189: 79-85. "Cactus Alkaloids XL. Identification of Mescaline and Other β-Phenethylamines in *Pereskia*, *Pereskiopsis* and *Islaya* by Use of Fluorescamine Conjugates." (Paul W. Doetsch, John M. Cassady & Jerry L. McLaughlin)

XLI Meyer, Brian & Jerry L. McLaughlin (1980) *Planta Medica,* 38 (1): 91-92. "Cactus alkaloids. XLI. Candicine from *Trichocereus pasacana.*"

XLII Mata, Rachel & Jerry L McLaughlin (1980)b *Journal of Pharmaceutical Sciences* 69 (1): 94-95. "Cactus alkaloids XLII: 3,4-Dimethoxy-β-phenethylamine and Heliamine from the Mexican Cereoid *Backebergia militaris.*"

XLIII Meyer, B.N. *et al.* (1980) *Phytochemistry,* 19: 719-720. "β-Phenethylamines From the Cactus Genus *Opuntia.*" (Brian N. Meyer, Yehia A.H. Mohamed & Jerry L. McLaughlin)

XLIV Mata, Rachel & Jerry L McLaughlin (1980)c *Phytochemistry,* 19: 673-678. "Tetrahydroisoquinoline Alkaloids of the Mexican Columnar Cactus *Pachycereus weberi.*"

XLV Mata, Rachel & Jerry L. McLaughlin (1980)d *Planta Medica,* 38: 180-182. "Cactus Alkaloids. XLV. Tetrahydroisoquinolines from the Mexican Cereoid *Pachycereus pringlei.*"

XLVI Pummangura, S. & J.L. McLaughlin (1981) *Journal of Natural Products,* 44 (4): 498-499. "Cactus alkaloids. XLVI. 3-Methoxytyramine and Lemaireocereine from *Backebergia militaris*."

XLVII Pummangura, S. *et al.* (1981) *Journal of Natural Products,* 44 (5): 614-616. "Cactus Alkaloids. XLVII. β-Phenethylamines from the "Missouri Pincushion", *Coryphantha* (*Neobessya*) *missouriensis.*" (S. Pummangura, J.L. McLaughlin & R.C. Schifferdecker)

XLVIII Ferrigni, N.R. *et al.* (1982) *Journal of Ethnopharmacology,* 5: 359-364. "Cactus Alkaloids XLVIII. Nα,Nα-Dimethylhistamine, A Hypotensive Component of *Echinocereus triglochidiatus.*" (Nelson R. Ferrigni, David E. Nichols, Jerry L. McLaughlin & Robert A. Bye, Jr.)

XLIX S. Pummangura, J.L. *et al.* (1982) *Journal of Natural Products,* 45, 277-282 (l982). "Cactus Alkaloids. XLIX. New Trace Alkaloids (Dehydrosalsolidine and Heliamine) from the Saguaro, *Carnegiea gigantea*, and Confirmation by MIKES (MS/MS)." (S. Pummangura, J.L. McLaughlin*, D.V. Davis & R.G. Cooks)

L Mata, Rachel & Jerry L. McLaughlin (1982) *Revista Latinoamericana de Quimica,* 12: 95-117. "Cactus Alkaloids. 50. A comprehensive tabular summary."

Appendix: Cactus paper series

LI Pummangura, S. *et al.* (1982)a *Journal of Natural Products,* 45 (2): 224-225. "Cactus Alkaloids. LI. Lack of Mescaline Translocation in Grafted *Trichocereus*." (S. Pummangura, J.L. McLaughlin & R.C. Schifferdecker)

LII Pummangura, S. *et al.* (1982)b *Phytochemistry,* 21 (9): 2375-2377. "Two Simple Tetrahydroisoquinoline Alkaloid N-oxides from Cacti." (S. Pummangura, Y.A.H. Mohamed, C.-J. Chang & J.L. McLaughlin)

LIII Meyer, B.N. *et al.* (1983) *Journal of Natural Products,* 46 (5): 688-693. "Cactus Alkaloids LIII. Coryphanthine and O-Methylcandicine, Two New Quaternary Alkaloids from *Coryphantha greenwoodii*." (B.N. Meyer, J.S. Helfrich, D.E. Nichols, J.L. McLaughlin, D.V. Davis and R.G. Cooks)

LIV R. Mata et al. (1983) *Phytochemistry,* 22: l263-l270. "Tetrahydroisoquinolines." (Cactus Alkaloids. 54), (R. Mata, C.-j. Chang & J.L. McLaughlin)

LV Ordaz, C. *et al.* (1983) *Phytochemistry,* 22 (9): 2101-2102. "Dehydroheliamine, A Trace Alkaloid From the Saguaro, *Carnegiea gigantea* (Cactaceae)." (Candido Ordaz, Nelson R. Ferrigni & Jerry L. McLaughlin)

LVI N.R. Ferrigni et al. (1984) *Revista Latinoamericana Quimica*, l4, l31-l33. "Cactus Alkaloids. LVI. ^{13}C and ^{1}H NMR of the Imidazoles, Na,Na-Dimethylhistamine and Dolichotheline." (N.R. Ferrigni, B.N. Meyer & J.L. McLaughlin)

LVII N.R. Ferrigni and J.L. McLaughlin*, "Using Brine Shrimp to Locate the Dihydroisoquinoline Pharmacophore," (Cactus Alkaloids. LVII), *Journal of Pharmaceutical Sciences*, (submitted for publication) (l984). Title appears listed online in McLaughlin bibliographies but does not seem to have ever gone into print.

LVIII Ferrigni, N.R. *et al.* (1984) *Journal of Natural Products,* 47 (5): 839-845. "Identification of New Cactus Alkaloids in *Backebergia militaris* by Tandem Mass Spectrometry." (N.R. Ferrigni, S.A. Sweetana, J.L. McLaughlin, K.E. Singleton & R.G. Cooks.)

LIX Roush, R. *et al.* (1985) *Analytical Chemistry,* 57: 109-114. "Search for New Alkaloids in Pachycereus weberi by Tandem Mass Spectrometry." (Robin A. Roush, R. Graham Cooks, Stephanie A. Sweetana & Jerry L. McLaughlin)

LX P. Kerekes, P. *et al.* (1985) *Journal of Natural Products,* 48, 142-143. "Absolute Configuration of Deglucopterocereine: Conversion into R-(+)-N- Methylcalycotomine." (Cactus Alkaloids. 60)" (P. Kerekes, P.N. Sharma, A. Brossi & J.L. McLaughlin)

Notice that of the 60 numbered papers there were two pairs bearing the same number but there was one additional title that does not appear to have actually gone into print. This brings the total of the above set to 61 papers.

Additional cactus papers from McLaughlin or with coauthors; not numbered as part of this series:

1965 McLaughlin, Jerry Loren (1965) *PhD dissertation; University of Michigan*. "Identification and biosynthesis of certain alkaloids of *Lophophora williamsii* (Lem.) Coult."

1965 McLaughlin, Jerry L. & Ara G. Paul (1965) *Journal of Pharmaceutical Sciences,* 54 (4): 661. "Presence of Hordenine in *Lophophora williamsii*."

1968 Below, L.E. *et al.* (1968) *Journal of Pharmaceutical Sciences,,* 57 (3): 515-516. "Macromerine from *Coryphantha runyonii*." (L.E. Below, A.Y. Leung, J.L. McLaughlin and A.G. Paul)

1973 McLaughlin, Jerry L. (1973) *Lloydia,* 36 (1): 1-8. "Peyote: an introduction."

1973 Vogel, W.H. *et al.* (1973) *Psychopharmacologia,* 30: 145-151. "Macromerine, Normacromerine and Bisnormacromerine: Non-Psychoactive Methylated Derivatives of Norepinephrine." (W.H. Vogel, B.D. Evans, E.M. Bonnem, J.F. Fischer & J.L. McLaughlin)

1977 Kruger, T.L. *et al.* (1977) *Journal of Organic Chemistry*, 42: 4161-4162. "Identification of alkaloids in crude extracts by mass-analyzed ion kinetic energy spectrometry." (T.L. Kruger, R.G. Cooks, J.L. McLaughlin & R.L. Ranieri)

1977 West, Leslie G. & Jerry L. McLaughlin (1977) *Lloydia* 40 (5): 499-504. "Triterpenes from the Button Cactus, *Epithelantha micromeris*."

1978 West, L.G. *et al.* (l978) *Planta Medica,* 33: 37i-376. "Analysis of Cactus Pentacyclic Triterpenes by Reversed-Phase High Performance Liquid Chromatography." (L.G. West, K. Templeton & J.L. McLaughlin,)

1980 Mata, Rachel & Jerry L. McLaughlin (1980)a *Journal of Natural Products,* 43 (3): 411-413. "Lemairin, a New Glucoside from the Mexican Cactus, *Pachycereus weberi*."

1981 Meyer, Brian & Jerry L. McLaughlin (1981) *Cactus & Succulent Journal*, (US), 53, l07-112, "Economic Uses of *Opuntia*."

1982 Meyer, Brian & Jerry L. McLaughlin (1982) *Cactus & Succulent Journal*, (US), 54 (5): 226-228. "A Note on the Phytochemistry of *Opuntia* (Cactaceae)."

1983 Mata, R. *et al.* (1983) *Phytochemistry,* 22 (5): 1263-1270. "^{13}C NMR Analysis of Some Simple Tetrahydroisoquinolines." (Rachel Mata, Ching-Jer Chang & Jerry L. McLaughlin)

1983 Spencer, G.F. *et al.* (1983) *Journal of Natural Products,* 46: 551-558. "The Triterpene Esters of *Dolichothele longimamma* (Cactaceae)." (G.F. Spencer, K. Payne-Wahl, R.B. Wolf & J.L. McLaughlin)

1989 Morales, Glauco & Jerry L. McLaughlin (1989) *Journal of Natural Products,* 52 (2): 381-384. "3β-O-Palmityl Longispinogenin from *Trichocereus chilensis*."

A total of 75 cactus related papers were published either by McLaughlin or with coworkers.

Ernst Späth

"Über die Anhalonium-Alkaloide" & "Mitteil. über Kakteen-Alkaloide."

Ernst Späth and coworker's elucidation of the structures of peyote alkaloids, other cactus alkaloids and related synthetic material.

I Späth, Ernst (1919) *Monatshefte für Chemie,* 40: 129-154. "Über die Anhalonium-Alkaloide."

II Späth, Ernst (1921) *Monatshefte für Chemie,* 42: 97-115. "Über die Anhalonium-Alkaloide. II. Die Konstitution des Pellotins, des Anhalonidins, und des Anhalamins."

III Späth, Ernst (1921) *Monatshefte für Chemie,* 42: 263-266. "Über die Anhalonium-Alkaloide. III. Konstitution des Anhalins." [Hordenine]

IV *See* Späth & Roder 1922

V Späth, Ernst (1922) *Monatshefte für Chemie,* 43: 477-484. "Über die Anhaloniumalkaloide. V. Die Synthese des Anhalonidins und des Pellotins."

VI *See* Späth & Gangl 1923

VII

VIII

IX

X *See* Späth & Boschan 1933

Späth, Ernst (1929) *Berichte der Deutschen Chemischen Gesellschaft,* 62 (4): 1021-1024. "Über das Carnegin."

Späth, Ernst (1932) *Berichte der Deutschen Chemischen Gesellschaft,* 65 (10): 1778-1785. "Über die Konstitution von Pellotin und Anhalonidin."

XI Späth, Ernst & Friedrich Becke (1934) *Berichte der Deutschen Chemischen Gesellschaft,* 67 (2): 266-268. "Eine neue Synthese des Pellotins. (XI. Mitteil. über Kakteen-Alkaloide.)"

XII Späth, Ernst & Friedrich Becke (1934) *Berichte der Deutschen Chemischen Gesellschaft,* 67 (12): 2100-2102. "Die Konstitution des Anhalamins. (XII. Mitteilung über Kakteen-Alkaloide.)"

XIII Späth, Ernst & Friedrich Becke (1935)a *Berichte der Deutschen Chemischen Gesellschaft*, 68 (3): 501-505. "Über ein neues Kakteen-Alkaloid, das Anhalinin, und zur Konstitution des Anhalonins. (XIII. Mitteil. über Kakteen Alkaloide.)" [Anhalinine 0.096 grams from 1330 grams of peyote.]

XIV Späth, Ernst & Friedrich Becke (1935)b *Berichte der Deutschen Chemischen Gesellschaft*, 68 (5): 944-945. "Über des Anhalidin. (XIV. Mitteil. über Kakteen-Alkaloide.)"
[Synthesis of Anhalidine. / In peyote: Anhalamine 0.1% / Anhalinine 0.01% / Anhalidine 0.001%.]

XV Späth, Ernst & Friedrich Becke (1935)c *Monatshefte für Chemie,* 66: 327-366. "Über die tiennung der Anhalonium basen. (Kakteen alkaloide. XV.)"

Späth, Ernst & Friedrich Boschan (1933) *Monatshefte für Chemie,* 63: 141-153. "Über Kakteenalkaloide. X. Die Konstitution des Pellotins un des Anhalonidins."

XVI *See* Späth & Kesztler 1935

XVII *See* Späth & Kesztler 1936

XVIII Späth, Ernst & Johann Bruck (1937) *Berichte der Deutschen Chemischen Gesellschaft,* 70 (12): 2446-2450. "Über ein neues alkaloid aus den Mezcal buttons. (XVIII Mitteil. über Kakteen-Alkaloide.)" [N-Methylmescaline.]

XIX Späth, Ernst & Johann Bruck (1938) *Berichte der Deutschen Chemischen Gesellschaft,* 71 (6): 1275-1276. "N-Acetyl mezcalin als Inhaltstoff der Mezcalin-Buttons. (XIX. Mitteil. über Kakteen-Alkaloide.)" [185-195º at 0.02mm.]

XX Späth, Ernst & Johann Bruck 1939 *Berichte der Deutschen Chemischen Gesellschaft,* 72 (2): 334-338. "Über das *O*-Methyl-*d*-anhalonidin. (XX. Mitteil. über Kakteen-Alkaloide.)"

Späth, Ernst & Joef Gangl (1923) *Monatshefte fuer Chemie*, 44: 103-113. "Über die Anhaloniumalkaloide. VI, Anhalonin und Lophophorin."

Späth, Ernst & Friederike Kesztler (1935) *Berichte der Deutschen Chemischen Gesellschaft,* 68 (9): 1663-1667. "Synthese des Anhalonidins und des Lophophorins. (XVI. Mitteil. über Kakteen-Alkaloide)."
[Synthesis of Lophophorine and Anhalonine.]

Späth, Ernst & Friederike Kesztler (1936) *Berichte der Deutschen Chemischen Gesellschaft*, 69 (4): 755-757. "Über die optische Aktivität des Pellotins. (XVII. Mitteil. über Kakteen-Alkaloide)."

Späth, Ernst and Kuffner, F. (1929) *Berichte der Deutschen Chemischen Gesellschaft,* 62 (8): 2242-2243. "Die identitat des Pectinins mit dem Carnegin."

Späth & Passl 1932 in the literature meant Spath 1932.

Späth, Ernst & Hans Roder (1922) *Monatshefte für Chemie*, 43: 93-111. "Über die Anhalonium-Alkaloide. IV. Die Synthese des Anhalamins."

Trichocereus tarmaensis
(UC)

Appendix

Structure-Activity Relationships of Phenethylamines

A very *few* references of potential interest.
Consultation of the references listed in Shulgin's and Glennon's works below will give a good overview of this fascinating area.

Alles 1957 "Some Relations between Chemical Structure and Physiological Action of Mescaline and Related Compounds" in Abramson (ed.) *Neuropharmacology* New York: Macy Foundation

Barger & Dale 1910 *Journal of Physiology* 41: 19-59. "Chemical Structure and Sympathomimetic Action of Amines" (Relative pressor effects of phenethylamine and its methylated and hydroxylated derivatives)

Barfknecht & Nichols 1975 *Journal of Medicinal Chemistry* 18 (2): 208-210. "Correlation of psychotomimetic activity of phenethylamines and amphetamines with 1-octacosanol-water partition coefficients"

Braun *et al*. 1978 "Mescaline Analogs: Substitutions at the 4-Position." pp. 27-37 in G. Barnett *et al.* (eds.) *'QuaSAR' Research Monograph 22*, National Institute on Drug Abuse.

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Cactus Phenethylamines: A Tabular Key to their Structural Formulas

	Phenyl					Ethyl		Amine		
Position:	**2**	**3**	**4**	**5**	**6**	**β**	**α**	**N1**	**N2**	**N3+**
Compound										
Phenethylamine	H	H	H	H	H	na	na	H	H	na
Amphetamine*	H	H	H	H	H	na	Me	H	H	na
N-Methylphenethylamine	H	H	H	H	H	na	na	Me	H	na
Methamphetamine*	H	H	H	H	H	na	Me	Me	H	na
N,N-Dimethylphenethylamine	H	H	H	H	H	na	na	Me	Me	na
Ubine	H	H	H	H	H	HO	na	Me	Me	na
Coryphanthine	H	H	H	H	H	MeO	na	Me	Me	Me+
Tyramine	H	H	HO	H	H	na	na	H	H	na
Octopamine	H	H	HO	H	H	HO	na	H	H	na
N-Methyltyramine	H	H	HO	H	H	na	na	Me	H	na
Synephrine	H	H	HO	H	H	HO	na	Me	H	na
β-O-Methylsynephrine	H	H	HO	H	H	MeO	na	Me	H	na
β-O-Ethylsynephrine	H	H	HO	H	H	EtO	na	Me	H	na
Hordenine	H	H	HO	H	H	na	na	Me	Me	na
Candicine	H	H	HO	H	H	na	na	Me	Me	Me+
4-Methoxyphenethylamine	H	H	MeO	H	H	na	na	H	H	na
4-Methoxy-β-hydroxyphenethylamine	H	H	MeO	H	H	HO	na	H	H	na
N-Methyl-4-methoxyphenethylamine	H	H	MeO	H	H	na	na	Me	H	na
Longimammine	H	H	MeO	H	H	HO	na	Me	H	na
N,N-Dimethyl-4-methoxyphenethylamine	H	H	MeO	H	H	na	na	Me	Me	na
N,N-Dimethyl-4-methoxy-β-hydroxyphenethylamine	H	H	MeO	H	H	HO	na	Me	Me	na
O-Methyl-candicine	H	H	MeO	H	H	na	na	Me	Me	Me+

* Not reported as a cactus alkaloid; included for structural comparison

PEA cont.			**Phenyl**			**Ethyl**		**Amine**		
Position:	**2**	**3**	**4**	**5**	**6**	β	α	**N1**	**N2**	**N3+**
Compound										
Dopamine	H	HO	HO	H	H	na	na	H	H	na
Norepinephrine	H	HO	HO	H	H	HO	na	H	H	na
Epinine	H	HO	HO	H	H	na	na	Me	H	na
Epinephrine	H	HO	HO	H	H	HO	na	Me	H	na
N-Methyladrenaline	H	HO	HO	H	H	HO	na	Me	Me	na
Coryneine	H	HO	HO	H	H	na	na	Me	Me	Me+
3-Hydroxy-4-methoxyphenethylamine	H	HO	MeO	H	H	na	na	H	H	na
3-Methoxytyramine	H	MeO	HO	H	H	na	na	H	H	na
Normetanephrine	H	MeO	HO	H	H	HO	na	H	H	na
N-Methyl-3-methoxytyramine	H	MeO	HO	H	H	na	na	Me	H	na
Metanephrine	H	MeO	HO	H	H	HO	na	Me	H	na
NAMT	H	MeO	HO	H	H	na	na	C(O)Me	H	na
N,N-Dimethyl-3-methoxytyramine	H	MeO	HO	H	H	na	na	Me	Me	na
Salicifoline*	H	MeO	HO	H	H	na	na	Me	Me	Me+
N-Methylmetanephrine	H	MeO	HO	H	H	HO	na	Me	Me	na
3,4-Dimethoxyphenethylamine	H	MeO	MeO	H	H	na	na	H	H	na
3,4-Dimethoxy-β-hydroxyphenethylamine	H	MeO	MeO	H	H	HO	na	H	H	na
3,4-Dimethoxy-N-methylphenethylamine	H	MeO	MeO	H	H	na	na	Me	H	na
Normacromerine	H	MeO	MeO	H	H	HO	na	Me	H	na
Calipamine	H	MeO	MeO	H	H	MeO	na	Me	H	na
N-Acetyl DMPEA	H	MeO	MeO	H	H	na	na	C(O)Me	H	na

* Not reported as a cactus alkaloid; included for structural comparison

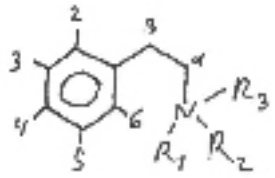
PEA cont.	Phenyl					Ethyl		Amine		
Position:	**2**	**3**	**4**	**5**	**6**	β	α	**N1**	**N2**	**N3+**
Compound										
3,4-Dimethoxy-N,N-dimethylphenethylamine	H	MeO	MeO	H	H	na	na	Me	Me	na
Macromerine	H	MeO	MeO	H	H	HO	na	Me	Me	na
β-Methoxy-3,4-dimethoxy-N,N-dimethylphenethylamine	H	MeO	MeO	H	H	MeO	na	Me	Me	na
3-Nitrotyramine	H	NO2	HO	H	H	na	na	H	H	na
3,4,5-Trihydroxyphenethylamine*	H	OH	OH	OH	H	na	na	H	H	na
3,4-Dihydroxy-5-methoxyphenethylamine	H	HO	HO	MeO	H	na	na	H	H	na
3-Hydroxy-4,5-dimethoxyphenethylamine	H	HO	MeO	MeO	H	na	na	H	H	na
N-Methyl-3-hydroxy-4,5-dimethoxyphenethylamine	H	HO	MeO	MeO	H	na	na	Me	H	na
N-Formyl-3-hydroxy-4,5-dimethoxyphenethylamine	H	HO	MeO	MeO	H	na	na	C(O)H	H	na
N-Acetyl-3-hydroxy-4,5-dimethoxyphenethylamine	H	HO	MeO	MeO	H	na	na	C(O)Me	H	na
N,N-Dimethyl-3-hydroxy-4,5-dimethoxyphenethylamine	H	HO	MeO	MeO	H	na	na	Me	Me	na
4-Hydroxy-3,5-dimethoxyphenethylamine	H	MeO	HO	MeO	H	na	na	H	H	na
Mescaline	H	MeO	MeO	MeO	H	na	na	H	H	na
N-Methylmescaline	H	MeO	MeO	MeO	H	na	na	Me	H	na
N-Formylmescaline	H	MeO	MeO	MeO	H	na	na	C(O)H	H	na

* Not reported as a cactus alkaloid; included for structural comparison

PEA cont.			Phenyl			Ethyl		Amine		
Position:	**2**	**3**	**4**	**5**	**6**	β	α	**N1**	**N2**	**N3+**
Compound										
N-Acetylmescaline	H	MeO	MeO	MeO	H	na	na	C(O)Me	H	na
β-Hydroxy-mescaline	H	MeO	MeO	MeO	H	HO	na	H	H	na
Trichocereine	H	MeO	MeO	MeO	H	na	na	Me	Me	na
3,4,5-Trimethoxyphenylalanine*	H	MeO	MeO	MeO	H	na	$-CO_2H$	H	H	na
2-Chloro-mescaline**	Cl	MeO	MeO	MeO	H	na	na	H	H	na
2,6-Dichloro-mescaline*	Cl	MeO	MeO	MeO	Cl	na	na	H	H	na

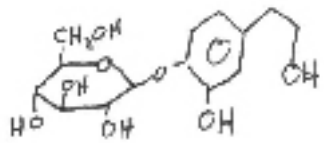
* Not reported as a cactus alkaloid; included for structural comparison
** Believed to be extraction artifact

Generic structural diagram for phenethylamine table



Phenethylamine Key:

Abbreviations
α: Carbon adjacent to the nitrogen.
β: Carbon adjacent to the phenyl ring.
Cl: Chlorine
C(O)H: Formyl
C(O)Me: Acetyl
CO_2H: COOH: Carbonyl
EtO: Ethoxy
H: Hydrogen
HO: Hydroxy
Me: Methyl
Me+: Methyl cation
MeO: Methoxy
na: Not applicable.
NO_2: Nitrate
PEA: Phenethylamine



Structure of Lemairin

Cactus Isoquinolines: A Tabular Key to their Structural Formulas

(The following includes related isoquinolines that do not occur in cacti; these are included for comparative purposes)

Compound	R5	R6	R7	R8	R1	R2a	R2b	R4	unsat
mono-ring-sub									
1. Longimammatine	H	MeO	H	H	H H	H	na	H	na
2. Weberidine	H	H	MeO	H	H H	H	na	H	na
3. Longimammosine	H	OH	H	H	H H	Me	na	H	na
4. Longimammidine	H	H	H	OH	H H	Me	na	H	na
5. ?-Mono-MeO-1-Me-THIQ (MIKES)	MeO (position?)				Me	H	na	H	na
6. Longimammamine	H	H	H	OH	H H	Me	na	OH	na
7. Arizonine	H	H	H	MeO	OH H	Me	na	H	na
di-ring-sub									
8. Heliamine	H	MeO	MeO	H	H H	H	na	H	na
9. Dehydroheliamine	H	MeO	MeO	H	H	H	na	H	1,2
10. Backebergine	H	MeO	MeO	H	H	H	na	H	1,2 3,4
11. Lemaireocereine	H	H	MeO	MeO	H H	H	na	H	na
12. Dehydrolemaireocereine	H	H	MeO	MeO	H	H	na	H	1,2
13. Isobackebergine	H	H	MeO	MeO	H	H	na	H	1,2 3,4
14. Uberine	MeO	H	OH	H	H H	Me	na	H	na
15. Corypalline	H	MeO	OH	H	H H	Me	na	H	na
16. Salsolinol*	H	OH	OH	H	Me	H	na	H	na
17. Salsoline	H	OH	MeO	H	Me	H	na	H	na
18. Isosalsoline	H	MeO	OH	H	Me	H	na	H	na
19. Salsolidine	H	MeO	MeO	H	Me	H	na	H	na
20. Dehydrosalsolidine	H	MeO	MeO	H	Me	H	na	H	1,2

* Not reported as a cactus alkaloid; included for structural comparison

Isoquinoline cont.	**R5**	**R6**	**R7**	**R8**	**R1**	**R2a**	**R2b**	**R4**	**unsat**
21. N-Methylheliamine (O-Methyl-corypalline)	H	MeO	MeO	H	H	Me	na	H	na
22. Hydrohydrastinine*	H	–O–CH–O–		H	H	H H	Me	na	H	na
23. N-Methylisosalsoline	H	MeO	OH	H	Me	Me	na	H	na
24. Lophocereine	H	MeO	OH	H	*i*-butyl	Me	na	H	na
25. Carnegine	H	MeO	MeO	H	Me	Me	na	H	na
26. Tepenine	H	H	MeO	MeO	Me	Me	na	H	na
27. Calycotomine*	H	MeO	MeO	H	-MeOH	H	na	H	na
28. Isosalsolidine	H	MeO	MeO	H	Me	H	na	H	1,2 3,4
29. Dehydrosalsolidine	H	MeO	MeO	H	Me	H	na	H	1,2
tri-ring-sub 30. HydrocotarnineH	–O–Me–O–		MeO	Me	Me	na	H	na	
31. Anhalamine	H	MeO	MeO	OH	H	H	na	H	na
32. Isoanhalamine	H	OH	MeO	MeO	H	H	na	H	na
33. Anhalinine	H	MeO	MeO	MeO	H	H	na	H	na
34. Nortehuanine	MeO	MeO	MeO	H	H	H	na	H	na
35. Anhalidine	H	MeO	MeO	OH	H	Me	na	H	na
36. Isoanhalidine	H	OH	MeO	MeO	H	Me	na	H	na
37. Anhalonine	H	MeO	–O–Me–O–		Me	H	na	H	na
38. Anhalonidine	H	MeO	MeO	OH	Me	H	na	H	na
39. Iso-anhalonidine	H	OH	MeO	MeO	Me	H	na	H	na
40. Lophophorine	H	MeO	–O–Me–O–		Me	Me	na	H	na
41. O-Methyl-anhalonidine	H	MeO	MeO	MeO	Me	H	na	H	na
42. Tehuanine	MeO	MeO	MeO	H	H	Me	na	H	na
43. Tehuanine-N-oxide	MeO	MeO	MeO	H	H	Me	®O	H	na
44. Gigantine	Me	Me	Me	na	na	H	OH	na	na
Incorrect proposal	OH	H	MeO	MeO	MeO	MeO	H	H	Me
45. Pellotine	H	MeO	MeO	OH	Me	Me	na	H	na
46. Isopellotine	H	OH	MeO	MeO	Me	Me	na	H	na 3,4

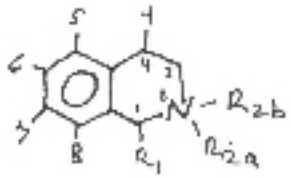
* Not reported as a cactus alkaloid; included for structural comparison

Isoquinoline cont.	**R5**	**R6**	**R7**	**R8**	**R1**	**R2a**	**R2b**	**R4**	**unsat**
tri-ring-sub cont.									
47. O-Methylpellotine	H	MeO	MeO	MeO	Me	Me	na	H	na
48. Pterocereine	glucose–O–	MeO	MeO	H	-MeOH	Me	na	H	na
49. Deglucopterocereine	OH	MeO	MeO	H	-MeOH	Me	na	H	na
50. Deglucopterocereine-N-oxide	OH	MeO	MeO	H	-MeOH	Me	®O	H	na
51. Anhalotine (Iodide)	H	MeO	MeO	OH	H	Me	I	H	na
52. Lophotine (Iodide)	H	MeO	–O–Me–O–		Me	Me	I	H	na
53. Peyotine (Iodide)	H	MeO	MeO	MeO	Me	Me	I	H	na
54. 3,4-Dihydro-6,7-diMeO-8-OH-IQ	H	MeO	MeO	OH	H	H	na	H	1,2
55. 3,4-Dihydro-6,7-diMeO-8-OH-2-Me-isoquinolinium inner salt	H	MeO	MeO	O^-	H	Me^+	na	H	1,2
56. 3,4-Dihydro-6,7-diMeO-8-OH-1-Me-isoquinoline	H	MeO	MeO	OH	Me	H	na	H	1,2
57. 3,4-Dihydro-6,7-diMeO-8-OH-1,2-diMe-isoquinolinium inner salt	H	MeO	MeO	O^-	Me	Me^+	na	H	1,2
58. Pycnarrhine*	H	MeO	OH	H	H	Me^+OH^-	na	H	1,2
59. N-Methyl-6,7-dimethoxy-isoquinolinium chloride*	H	MeO	MeO	H	H	Me^+Cl^-	na	H	1,2
60. Peyoglutam	H	MeO	MeO	OH	$–CH_2-CH_2-C(O)–$		na	H	na
61. Mescalotam	H	MeO	MeO	MeO	$–CH_2-CH_2-C(O)–$		na	H	na
62. Peyoxylic acid	H	MeO	MeO	OH	$–CO_2H$	H	na	H	na
63. O-Methyl-peyoxylic acid	H	MeO	MeO	MeO	$–CO_2H$	H	na	H	na
64. Peyoruvic acid	H	MeO	MeO	OH	–Me $–CO_2H$	H	na	H	na
65. O-Methylpeyoruvic acid	H	MeO	MeO	MeO	–Me $–CO_2H$	H	na	H	na
66. Isonortehuanine	MeO	MeO	MeO	H	H	H	na	H	1,2 3,4
67. Dehydronortehuanine	MeO	MeO	MeO	H	H	H	na	H	1,2
68. Peyophorine	H	MeO	–O–Me–O–		Me	Et	na	H	na

* Not reported as a cactus alkaloid; included for structural comparison

Isoquinoline cont. tetra-ring-sub	**R5**	**R6**	**R7**	**R8**	**R1**	**R2a**	**R2b**	**R4**	**unsat**
69. ?-Mono-OH-tri-MeO-2-Me-THIQ (MIKES)	$(MeO)_3$ & OH (positions?)				H	Me	na	H	1,2 3,4
70. ?-Tri-MeO-1-Me-1,2,3,4-dehydro-IQ (MIKES)	$(MeO)_3$ (positions?)				Me	H	na	H	1,2 3,4
71. ?-Tri-MeO-1-Me-1,2-dehydro-IQ (MIKES)	$(MeO)_3$ (positions?)				Me	H	na	H	1,2
72. Norweberine	MeO	MeO	MeO	MeO	H	H	na	H	na
73. Dehydronorweberine	MeO	MeO	MeO	MeO	H	H	na	H	1,2
74. Isonorweberine	MeO	MeO	MeO	MeO	H	H	na	H	1,2 3,4
75. Pachycereine	MeO	MeO	MeO	MeO	Me	H	na	H	na
76. Dehydropachycereine	MeO	MeO	MeO	MeO	Me	H	na	H	1,2
77. Isopachycereine MeO	MeO	MeO	MeO	Me	H	na	H	1,2 3,4	
78. Weberine	MeO	MeO	MeO	MeO	H	Me	na	H	na
79. N-Methylpachycereine trimeric	MeO	MeO	MeO	MeO	Me	Me	na	H	na
80. Pilocereine	H	MeO	OH	X	i-butyl	Me	na	H	na
	H	MeO	XO	Y	i-butyl	Me	na	H	na
	H	MeO	YO	H	i-butyl	Me	na	H	na

Generic structural diagram for isoquinoline table



Isoquinoline key:

Abbreviations

1,2: 1,2-Dehydro
3,4: 3,4-Dehydro
CO_2H: COOH: Carbonyl
H: Hydrogen
Me: Methyl
MeO: Methoxy
na: Not applicable
OH: Hydroxy
-O-Me-O-: Methylenedioxy
X: Point of attachment (X-X)
Y: Point of attachment (Y-Y)

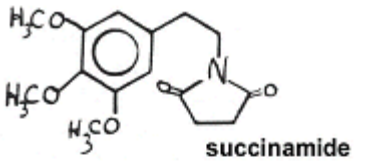
Structural table Isoquinolines in alphabetical order

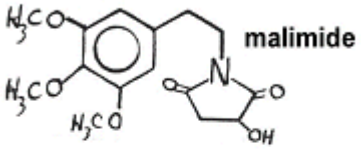
Name	List #
?-Mono-MeO-1-Methyl-THIQ	5
?-Mono-OH-tri-MeO-2-Methyl-THIQ	69
?-Tri-MeO-1-Methyl-1,2,3,4-dehydro-isoquinoline	70
?-Tri-MeO-1-Methyl-1,2-dehydro-isoquinoline	71
3,4-Dihydro-6,7-dimethoxy-8-hydroxy-1,2-dimethyl-isoquinolinium inner salt	57
3,4-Dihydro-6,7-dimethoxy-8-hydroxy-1-methyl-isoquinoline	56
3,4-Dihydro-6,7-dimethoxy-8-hydroxy-2-methyl-isoquinolinium inner salt	55
3,4-Dihydro-6,7-dimethoxy-8-hydroxy-isoquinoline	54
Anhalamine	31
Anhalamine, Iso-	32
Anhalidine	35
Anhalidine, Iso-	36
Anhalinine	33
Anhalonidine	38
Anhalonidine, Iso-	39
Anhalonidine, O-Methyl-	41
Anhalonine	37
Anhalotine (Iodide)	51
Arizonine	7
Backebergine	10
Backebergine, Iso-	13
Calycotomine	27
Carnegine	25
Corypalline	15
Corypalline, O-Methyl-	21
Deglucopterocereine	49
Deglucopterocereine-N-oxide	50
Dehydroheliamine	9
Dehydro-lemaireocereine	12
Dehydronortehuanine	67
Dehydronorweberine	73
Dehydropachycereine	76
Dehydrosalsolidine	20
Dehydrosalsolidine	29
Gigantine	44

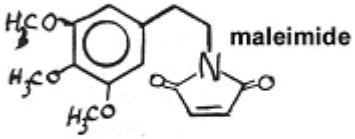
Name (cont.)	List #
Heliamine	8
Heliamine, Dehydro-	9
Heliamine, N-Methyl-	21
Hydrocotarnine	30
Hydrohydrastinine	22
Isoanhalamine	32
Isoanhalidine	36
Isoanhalonidine	39
Isobackebergine	13
Isonortehuanine	66
Isonorweberine	74
Isopachycereine	77
Isopellotine	46
Isosalsolidine	28
Isosalsoline	18
Isosalsoline, N-Methyl-	23
Lemaireocereine	11
Lemaireocereine, Dehydro-	12
Longimammamine	6
Longimammatine	1
Longimammidine	4
Longimammosine	3
Lophocereine	24
Lophophorine	40
Lophotine (Iodide)	52
Mescalotam	61
N-Methyl-6,7-dimethoxy-isoquinolinium chloride	59
N-Methylheliamine	21
N-Methylisosalsoline	23
N-Methyl-pachycereine	79
Nortehuanine	34
Nortehuanine, Dehydro-	67
Nortehuanine, Iso-	66
Norweberine	72
Norweberine, Dehydro-	73
Norweberine, Iso-	74
O-Methyl-anhalonidine	41
O-Methylcorypalline	21
O-Methylpellotine	47
O-Methylpeyoruvic acid	65
O-Methylpeyoxylic acid	63
Pachycereine	75
Pachycereine, Dehydro-	76
Pachycereine, Iso-	77
Pachycereine, N-Methyl-	79
Pellotine	45
Pellotine, Iso-	46
Pellotine, O-Methyl-	47

Name (cont.)	List #
Peyoglutam	60
Peyophorine	68
Peyoruvic acid	64
Peyoruvic acid, O-Methyl-	65
Peyotine (Iodide)	53
Peyoxylic acid	62
Peyoxylic acid, O-Methyl-	63
Pilocereine	80
Pterocereine	48
Pterocereine, Degluco-	49
Pycnarrhine	58
Salsolidine	19
Salsolidine, Dehydro-	20
Salsolidine, Dehydro-	29
Salsolidine, Iso-	28
Salsoline	17
Salsoline, Iso-	18
Salsoline, N-Methyl-iso-	23
Salsolinol	16
Tehuanine	42
Tehuanine, Dehydronor	67
Tehuanine, Isonor-	66
Tehuanine, Nor-	34
Tehuanine-N-oxide	43
Tepenine	26
Uberine	14
Weberidine	2
Weberine	78
Weberine, Dehydro-nor-	73
Weberine, Isonor-	74
Weberine, Nor-	72

Mescaline Krebs acid conjugates & other compounds

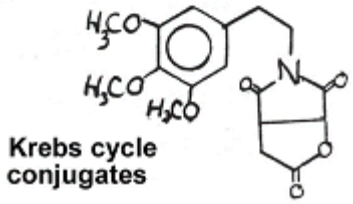

succinamide


malimide


maleimide

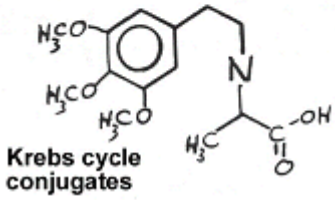

citrimide

isocitrimide lactone

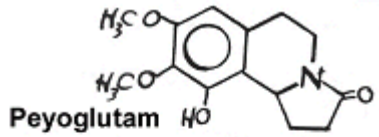

Krebs cycle conjugates

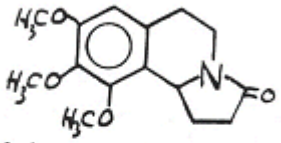

mescaloxylic acid

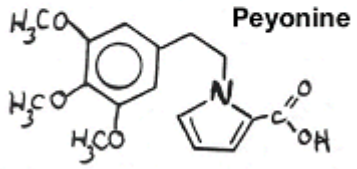
mescaloruvic acid

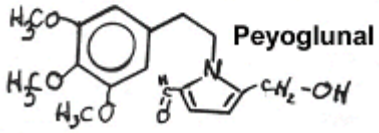

Krebs cycle conjugates

The remaining Krebs acid conjugates include Peyoxylic acid, O-Methylpeyoxylic acid, Peyoruvic acid & O-Methylpeyoruvic acid. These are included in the tables above.


Peyoglutam


Mescalotam


Peyonine


Peyoglunal

Peyonine and Peyoglunal are pyrrole derivatives rather than Krebs cycle conjugates; they are included on this page only for convenience.

References for Sacred Cacti & Cactus Alkaloids

Echinocereus ***coccineus***
(Val Verde Co., Texas)

Aztekium ritteri
(HBG)

References for *Sacred Cacti* Fourth Edition

Part A: *The Mescaline Containing Species*
Part B: *San Pedro*
Part C: *Cactus Chemistry*
Section 1: *Cactus Alkaloids*
Section 2: *Cactus Chemistry*: *By Species*

[Brackets around a title indicates it is an English translation of the actual title.]

Incomplete citations or the use of the qualifier "*From*" usually indicates that the paper listed was a second-hand reference. This means that this work was unavailable to us but was the reference cited by our source.

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Chemical & pharmaceutical synonym cross-list

α-(3,4-dihydroxyphenyl)-β-aminoethane. See as Dopamine
α-(3,4-dihydroxyphenyl)-α-hydroxy-β-dimethylaminoethane. See as N-Methyladrenaline
α-(3,4-dihydroxyphenyl)-2-dimethylaminoethanol. See as N-Methyladrenaline
α-(4-hydroxyphenyl)-β-aminoethane. See as Tyramine
α-(Aminomethyl)-3,4,5-trimethoxybenzenemethanol. See as β-Hydroxymescaline
α-(Aminomethyl)-3,4-dihydroxybenzyl alcohol. See as Norepinephrine
α-(Aminomethyl)-4-hydroxy-3-methoxybenzenemethanol. Normetanephrine
α-(Aminomethyl)-vanillyl alcohol. Normetanephrine
α-(Dimethylaminomethyl)-3,4-dihydroxybenzyl alcohol. See as N-Methyladrenaline
α-(Dimethylaminomethyl)protocatechuyl alcohol. See as N-Methyladrenaline
α-(Methylaminomethyl)-vanillyl alcohol. See as Metanephrine
b,4-Dihydroxy-3-methoxy-N-methylphenethylamine. See as Metanephrine
b,4-Dihydroxyphenethylamine. See as Octopamine
α-[(Dimethylamino)methyl]-3,4-dimethoxybenzenemethanol. See as Macromerine
α-[(Dimethylamino)methyl]benzenemethanol. See as Ubine
α-[(Dimethylamino)methyl]benzyl alcohol. See as Ubine
α-[(Dimethylamino)methyl]veratryl alcohol. See as Macromerine
α-[(Methylamino)methyl]vanillyl alcohol. See as Metanephrine
β-Aminoethylbenzen(e). See as Phenethylamine
α-Desoxyadrenaline. See as Epinine
β-Hydroxy-3,4,5-trimethoxyphenethylamine. See as β-Hydroxymescaline
β-Hydroxy-3,4-dihydroxy-N-methylphenethylamine. See as Epinephrine.
β-Hydroxy-3,4-dihydroxyphenethylamine. See as Norepinephrine.
β-Hydroxy-3,4-dimethoxy-N-methylphenethylamine. See as Normacromerine
β-Hydroxy-3-methoxy-N,N-dimethyltyramine. N-Methylmetanephrine
β-Hydroxy-4-methoxy-N,N-dimethylphenethylamine. See as N,N-Dimethyl-4-methoxy-β-hydroxyphenethylamine
β-Hydroxy-4-methoxy-N-methylphenethylamine. See as N-Methyl-4-methoxy-β-hydroxyphenethylamine
β-Hydroxy-4-methoxyphenethylamine. See as 4-Methoxy-β-hydroxyphenethylamine
β-Hydroxy-N,N-dimethyl-DMPEA. See as Macromerine
β-Hydroxy-N,N-dimethylphenethylamine. See as Ubine
β-Hydroxy-N-methyl-3,4-dimethoxyphenethylamine. See as Normacromerine
β-Hydroxy-N-methyl-4-hydroxyphenethylamine. See as Synephrine αβ
β-Methoxy-dehydroxycandicine. See as Coryphanthine
β-Methoxy-N,N,N-trimethylphenethylamine. See as Coryphanthine
β-Methylamino-a(4-hydroxyphenyl) ethyl alcohol. See as Synephrine
β-O-Methylmacromerine. See as β-Methoxy-3,4-dimethoxy-N,N-dimethylphenethylamine
β-O-Methylnormacromerine. See as β-Methoxy-3,4-dimethoxy-N-methylphenethylamine
β-Phenethylamine. See as Phenethylamine
β-Phenethyldimethylamine. See as N,N-Dimethylphenethylamine
β-Phenethylmethylamine. See as N-Methylphenethylamine
?-Hydroxy-?-trimethoxy-2-methyl-isoquinoline. See as ? Mono-OH-tri-MeO-2-Methyl-isoquinoline
?-Mono-Methoxy-1-Methyl-THIQ. See as ?-Methoxy-1-methyl-THIQ
1-(β-3',4',5'-Trimethoxyphenethyl)-pyrrole-2-carboxylic acid. See as Peyonine
1-(3,4-dihydroxyphenyl)-2-aminoethanol. See as Norepinephrine
1-(4-Hydroxy-3-methoxyphenyl)-2-aminoethanol. Normetanephrine
1-(4-Hydroxy-3-methoxyphenyl)-2-methylaminoethanol. See as Metanephrine
1-(4-Hydroxyphenyl)-2-methylaminoethanol. See as Synephrine
1-(Dimethylamino)-2-(4-hydroxyphenyl)ethane. See as Hordenine
1,2,3,4-Tetradehydropachycereine. See as Isopachycereine
1,2,3,4-tetrahydro-1-isobutyl-6-methoxy-2-methylisoquinolin-7-ol. See as Lophocerine
1,2,3,4-Tetrahydro-2-methyl-4,8-isoquinolinediol. See as Longimammamine
1,2,3,4-Tetrahydro-4,8-dihydroxy-2-methylisoquinoline. See as Longimammamine
1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxy-1,2-dimethylisoquinoline. See as N-Methylpachycereine
1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxy-1-methylisoquinoline. See as Pachycereine
1,2,3,4-Tetrahydro-5,6,7,8-Tetramethoxy-2-methylisoquinoline. See as Weberine
1,2,3,4-Tetrahydro-5,6,7,8-tetramethoxyisoquinoline. See as Norweberine
1,2,3,4-Tetrahydro-5,6,7-trimethoxy-2-methylisoquinoline. See as Tehuanine
1,2,3,4-Tetrahydro-5,6,7-trimethoxy-isoquinoline. See as Nortehuanine
1,2,3,4-Tetrahydro-5-hydroxy-6,7-dimethoxy-1,2-dimethylisoquinoline. See as Gigantine
1,2,3,4-Tetrahydro-5-methoxy-2-methyl-7-isoquinolinol. See as Uberine
1,2,3,4-Tetrahydro-6,7,8-trimethoxy-1-methyl-1-isoquinolinecarboxylic acid. See as O-Methylpeyoruvic acid. See as O- Methylpeyoxylic acid
1,2,3,4-Tetrahydro-6,7,8-trimethoxy-1-methyl-isoquinoline. See as O-Methylanhalonidine
1,2,3,4-Tetrahydro-6,7,8-trimethoxy-2-methylisoquinoline. See

See as Arizonine
1-Hydroxymethyl-2-methyl-5-β-O-glucosyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline. See as Pterocereine
1-Hydroxymethyl-2-methyl-5-hydroxy-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline. See as Deglucopterocereine
1-Hydroxymethyl-2-methyl-5-hydroxy-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-N-oxide. See as Deglucopterocereine-N-oxide
1-Hydroxymethyl-2-methyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-5-β-O-glucopyranoside. See as Pterocereine
1-i-Butyl-7-hydroxy-6-methoxy-2-methyl-THIQ. See as Lophocerine
1-iso-Butyl-1,2,3,4-tetrahydro-7-hydroxy-6-methoxy-2-methylisoquinoline. See as Lophocerine
1-isobutyl-2-methyl-6-methoxy-7-hydroxy-1,2,3,4-tetrahydroisoquinoline. See as Lophocerine
1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline, 137
1-Methyl-6-methoxy-7,8-methylenedioxy-1,2,3,4-tetrahydroisoquinoline. See as Anhalonine
1-Methylcorypalline. See as N-Methylisosalsoline
1-OH-8-MeO-2-Me-THIQ. See as Arizonine
2-(3,4,5-Trimethoxy-phenyl)-ethyl-amin(e). See as Mescaline
2-(3,4-Dihydroxyphenyl)ethylamine. See as Dopamine
2-(4-Methoxyphenyl)ethylamine. See as 4-Methoxyphenethylamine
2-(Methylamino)ethylbenzene. See as N-Methylphenethylamine
2-(p-Hydroxyphenyl)-ethylamine. See as Tyramine
267.324. See as Pachycereine
2-Amino-1-(3,4,5-trimethoxyphenyl)ethanol. See as β-Hydroxymescaline
2-Amino-1-(3,4-dihydroxyphenyl) ethanol. See as Norepinephrine
2-Chloro-3,4,5-trimethoxyphenethylamine. See as 2-Chloro-mescaline
2-Dimethylamino-1-phenylethanol. See as Ubine
2-Ethyl-6-methoxy-7,8-methylenedioxy-1-methyl-THIQ. See as Peyophorine
2-Methyl-5,6,7-trimethoxy-1,2,3,4-tetrahydroisoquinoline. See as Tehuanine
2-Methyl-6,7,8-trimethoxy-THIQ. See as O-Methylanhalidine
2-Methyl-6,7-dimethoxy-1,2,3,4-tetrahydro-8-isoquinolinol. See as Anhalidine
2-Phenethylamine. See as Phenethylamine
2-p-Hydroxyphenylethylamine. See as Tyramine
3,4 dm PEA. See as 3,4-Dimethoxyphenethylamine
3,4,5-Trihydroxyphenethylamine, 64
3,4,5-Trimethoxy-β-phenethylamine. See as Mescaline
3,4,5-Trimethoxybenzeneethanamine. See as Mescaline
3,4,5-Trimethoxy-benzolethanamin. See as Mescaline
3,4,5-Trimethoxy-N-methylphenethylamine. See as N-Methylmescaline
3,4,5-Trimethoxyphenethylamine. See as Mescaline
3,4,5-trimethoxyphenethylglycine. See as as 3,4,5-trimethoxyphenylalanine
3,4,5-Trimethoxy-phenethyl-N,N,N-trimethylammonium hydroxide, 101
3,4,5-trimethoxyphenylalanine, 102
3,4-Didehydro-N-(3,4,5-trimethoxyphenyl)-succinamide. See as Mescaline maleimide
3,4-Dihydro-5,6,7,8-tetramethoxy-1-methylisoquinoline. See as Dehydropachycereine
3,4-Dihydro-5,6,7,8-tetramethoxydihydroisoquinoline. See as Dehydronorweberine
3,4-Dihydro-5,6,7,8-tetramethoxyisoquinoline. See as Dehydronorweberine
3,4-Dihydro-6,7-dimethoxy-1-methyl-8-isoquinolinol. See as 1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
3,4-Dihydro-6,7-dimethoxy-1-methylisoquinoline. See as Dehydrosalsolidine
3,4-Dihydro-6,7-dimethoxy-8-isoquinolinol. See as 6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
3,4-Dihydro-6,7-dimethoxyisoquinoline. See as Dehydroheliamine
3,4-Dihydro-7,8-dimethoxyisoquinoline. See as Dehydrolemaireocereine
3,4-Dihydro-8-hydroxy-6,7-dihydroisoquinolinium inner salt. See as 6,7-Dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt
3,4-Dihydro-8-hydroxy-6,7-dimethoxy-1,2-dimethylisoquinolinium inner salt. See as 1,2-Dimethyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt
3,4-Dihydro-8-hydroxy-6,7-dimethoxy-1-methylisoquinoline. See as 1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
3,4-Dihydro-8-hydroxy-6,7-dimethoxy-1-methylisoquinolinium inner salt. See as 1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
3,4-Dihydro-8-hydroxy-6,7-dimethoxy-2-methylisoquinolinium inner salt. See as 2-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt
3,4-Dihydro-8-hydroxy-6,7-dimethoxyisoquinoline. See as 6,7-Dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
3,4-Dihydro-ar-trimethoxy-1-methylisoquinoline. See as ? Tri-MeO-1-Methyl-1,2,3,4-tetrahydro-isoquinoline
3,4-Dihydronorephedrine. See as Norepinephrine
3,4-Dihydroxy-α-[(methylamino) methyl]benzyl alcohol. See as Epinephrine.
3,4-Dihydroxy-β-hydroxy-N-methylphenethylamine. See as Epinephrine.
3,4-Dihydroxy-β-hydroxyphenethylamine. See as Norepinephrine.
3,4-Dihydroxy-N,N,N-trimethylphenethylamine. See as Coryneine
3,4-Dihydroxy-N-methylphenethylamine. See as Epinine
3,4-Dihydroxyphenethylamine. See as Dopamine
3,4-Dihydroxy-phenethyl-trimethyl-ammonium cation. See as Coryneine
3,4-Dimethoxy-α-[(dimethylamino) methyl]benzylalcohol. See as Macromerine

as Isonortehuanine
5,6,7-Trimethoxy-THIQ. See as Nortehuanine
5-Hydroxy-3,4-dimethoxyphenethylamine. See as 3-Hydroxy-4,5-dimethoxyphenethylamine
5-Hydroxy-6,7-dimethoxy-1,2-dimethyl-THIQ. See as Gigantine
5-Hydroxycarnegine. See as Gigantine
5-Hydroxymethyl-1-[2-(3,4,5-trimethoxyphenyl)ethyl]-2-pyrrolecarboxaldehyde. See as Peyoglunal
5-Methoxy-7-hydroxy-2-methyl-1,2,3,4-tetrahydroisoquinoline. See as Uberine
5-Methylanhalonine. See as Lophophorine
6,7,8,9-Tetrahydro-4-methoxy-8,8,9-trimethyl-1,3-dioxolo[4,5-h]-isoquinolinium. See as Lophotine
6,7,8,9-Tetrahydro-4-methoxy-8,9-dimethyl-1,3-dioxolo[4,5-h]isoquinoline. See as Lophophorine
6,7,8,9-Tetrahydro-4-methoxy-9-methyl-1,3-dioxolo[4,5-h] isoquinoline. See as Anhalonine
6,7,8-Trimethoxy-1,2,3,4-tetrahydroisoquinoline. See as Anhalinine
6,7,8-Trimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline. See as O-Methylpellotine
6,7,8-Trimethoxy-2-methyl-THIQ. See as O-Methylanhalidine
6,7,8-Trimethoxy-N-methyl-1,2,3,4-tetrahydro-isoquinoline. See as O-Methylanhalidine
6,7-diMeO-1,2-diMe-THIQ. See as Carnegine
6,7-Dimethoxy-1,2,3,4-tetrahydroisoquinoline. See as Heliamine
6,7-Dimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline. See as Carnegine
6,7-Dimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline-8-ol. See as Pellotine
6,7-Dimethoxy-1-methyl-1,2,3,4-tetrahydroisoquinoline. See as Salsolidine
6,7-Dimethoxy-1-methyl-dihydroisoquinoline. See as Dehydrosalsolidine
6,7-Dimethoxy-1-methyl-isoquinoline. See as Isosalsolidine
6,7-Dimethoxy-2-methyl-THIQ. See as N-Methylheliamine
6,7-Dimethoxy-3,4-dihydroisoquinoline. See as Dehydroheliamine
6,7-Dimethoxy-8-hydroxy-1,2,3,4-tetrahydroisoquinoline. See as Anhalamine
6,7-Dimethoxy-8-hydroxy-1-methyl-1,2,3,4-tetrahydroisoquinoline. See as Anhalonidine
6,7-Dimethoxy-isoquinoline. See as Backebergine
6,7-Dimethoxy-THIQ. See as Heliamine
6,7-Dimethyl-salsolinol. See as Salsolidine
6-Hydroxy-2-methyl-THIQ; 6-Hydroxy-N-methyl-THIQ. See as Longimammosine
6-Hydroxy-7,8-dimethoxy-1,2-dimethyl-THIQ. See as Isopellotine
6-Hydroxy-7,8-dimethoxy-2-methyl-THIQ. See as Isoanhalidine
6-Hydroxy-7,8-dimethoxy-THIQ. See as Isoanhalamine
6-Hydroxy-7-methoxy-1-methyl-1,2,3,4-tetrahydroisoquinoline. See as Salsoline
6-Hydroxy-N-methyl-THIQ. See as Longimammidine
6-MeO-THIQ. See as Longimammatine
6-Methoxy-1,2,3,4-tetrahydroisoquinoline. See as Longimammatine
6-Methoxy-7,8-methylenedioxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline. See as Lophophorine
6-Methoxy-7,8-methylenedioxy-1-methyl-2-ethyl-THIQ. See as Peyophorine
6-Methyl-salsolinol. See as Isosalsoline
6-OH-2-Me-THIQ. See as Longimammosine
6-OH-7,8-diMeO-1-Me-THIQ. See as Isoanhalonidine
7,8-Dimethoxy-1,2-dimethyl-THIQ. See as Tepenine
7,8-Dimethoxy-1-methyl-6-hydroxytetrahydroisoquinolinol. See as Isoanhalonidine
7,8-dimethoxy-2-methyl-1,2,3,4-tetrahydro-6-isoquinolinol. See as Isoanhalidine
7,8-Dimethoxy-3,4-dihydroxyisoquinoline, 112
7,8-Dimethoxy-dihydroisoquinoline. See as Dehydrolemaireocereine
7,8-Dimethoxy-isoquinoline. See as Isobackebergine
7,8-Dimethoxy-THIQ. See as Lemaireocereine
7-Hydroxy-6-methoxy-1,2-dimethyl-THIQ. See as N-Methylisosalsoline
7-Hydroxy-6-methoxy-1-methyl-1,2,3,4-tetrahydroisoquinoline. See as Isosalsoline
7-Hydroxy-6-methoxy-2-methyl-THIQ. See as Corypalline
7-MeO-THIQ. See as Weberidine
7-Methoxy-1,2,3,4-tetrahydroisoquinoline. See as Weberidine
7-Methyl-salsolinol. See as Salsoline
7-OH-5-MeO-2-Me-THIQ. See as Uberine
7-OH-5-MeO-N-Me-THIQ. See as Uberine
7-OH-6-MeO-1,2-diMe-THIQ. See as N-Methylisosalsoline
8-Ethyl-6,7,8,9-tetrahydro-4-methoxy-9-methyl-1,3-dioxo[4,5-h]-isoquinoline. See as Peyophorine
8-Hydroxy-2-methyl-THIQ. See as Longimammidine
8-Hydroxy-6,7-dimethoxy-1,2-dimethyl-1,2,3,4-tetrahydroisoquinoline. See as Pellotine
8-Hydroxy-6,7-dimethoxy-2-methyl-THIQ. See as Anhalidine
Acordin. See as Synephrine
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Adrenal. See as Epinephrine
Adrenalin. See as Epinephrine
Adrenalin(e). See as Epinephrine
Adrenaline. See as Epinephrine
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Adrenamine. See as Epinephrine
Adrenan. See as Epinephrine
Adrenapax. See as Epinephrine
Adrenasol. See as Epinephrine
Adrenatrate. See as Epinephrine
Adrenine. See as Epinephrine
Adrenodis. See as Epinephrine
Adrenohorma. See as Epinephrine
Adrenor. See as Norepinephrine
Adrenosan. See as Epinephrine
Adrenutol. See as Epinephrine
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Angeline. See as N-Methyltyramine
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Anhaline. See as Hordenine
Antiasthmatique. See as Epinephrine
Arterenol. See as Norepinephrine
Asmatane Mist. See as Epinephrine
Asthma Meter Mist. See as Epinephrine
Asthmα-Nefrin. See as Epinephrine
Astmahalin. See as Epinephrine
Astminhal. See as Epinephrine
Balmadren. See as Epinephrine
Benzeneethanamine. See as Phenethylamine
Bernarenin. See as Epinephrine
Binodrenal. See as Norepinephrine
Biorenine. See as Epinephrine
Bisnormacromerine. See as 3,4-Dimethoxy-β-hydroxyphenethylamine
Bosmin. See as Epinephrine
Brevirenin. See as Epinephrine
C10H12NO2. See as Longimammamine
C10H13NO. See as Longimammidine. See as Longimammosine. See as Weberidine. See as Longimammatine
C10H15NO. See as N-Methyl-4-methoxyphenethylamine. See as Hordenine. See as Hordenine. See as Ubine
C10H15NO2. See as 3,4-Dimethoxyphenethylamine. See as N-Methyl-3-methoxytyramine
C10H15NO3. See as 3-Hydroxy-4,5-dimethoxyphenethylamine. See as Metanephrine. See as N-Methyladrenaline
C11H11NO2. See as Isobackebergine. See as Backebergine
C11H13NO2. See as Dehydrolemaireocereine. See as Dehydroheliamine
C11H13NO3. See as 6,7-Dimethoxy-8-hydroxy-3,4- dihydroisoquinolinium inner salt
C11H15NO. See as ?-Methoxy-1-methyl-THIQ
C11H15NO2. See as Corypalline. See as Uberine. See as Isosalsoline. See as Salsoline. See as Lemaireocereine. See as Heliamine. See as Arizonine
C11H15NO3. See as Isoanhalamine. See as Anhalamine. See as NAMT
C11H17NO. See as N,N-Dimethyl-4-methoxyphenethylamine
C11H17NO2. See as N,N-Dimethyl-3-methoxytyramine
C11H17NO3. See as Mescaline. See as N-Methyl-3-hydroxy-4,5-dimethoxyphenethylamine. N-Methylmetanephrine. See as Coryneine
C11H17NO4. See as β-Hydroxymescaline
C11H19NO2. See as Candicine
C12H15NO. See as N-Methylheliamine
C12H15NO2. See as Dehydrosalsolidine
C12H15NO3. See as Anhalonine. See as 2-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt. See as 1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
C12H15NO4. See as N-Formylanhalamine
C12H15NO5. See as Peyoxylic acid
C12H17NO2. See as N-Methylisosalsoline. See as Salsolidine. See as N-Methylisosalsoline
C12H17NO3. See as Anhalidine. See as Isoanhalidine. See as Anhalonidine. See as Isoanhalonidine. See as Nortehuanine. See as Anhalinine. See as N-Acetyl DMPEA
C12H17NO4. See as N-Formylmescaline. See as N-Acetyl-3-hydroxy-4,5-dimethoxyphenethylamine
C12H19NO3. See as N-Methylmescaline. See as N,N-Dimethyl-3-hydroxy-4,5-dimethoxyphenethylamine. See as Macromerine
C12H20ClNO. See as O-Methylcandicine
C12H20NO+. See as O-Methylcandicine
C13H15NO3. See as ? Tri-MeO-1-Methyl-1,2-dihydro-isoquinoline
C13H15NO4. See as N-Formylanhalonine
C13H17NO3. See as O-Methylpeyoxylic acid. See as 1,2-Dimethyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinolinium inner salt. See as Lophophorine. See as ? Tri-MeO-1-Methyl-1,2,3,4-tetrahydro-isoquinoline. See as N,N-Dimethylmescaline
C13H17NO4. See as Dehydronorweberine. See as N-Formylanhalonidine. See as N-Formylanhalinine. See as N-Acetylanhalamine
C13H17NO5. See as Peyoruvic acid
C13H17NO7. See as β-O-Methylsynephrine
C13H19NO2. See as Tepenine. See as Carnegine
C13H19NO3. See as Pellotine. See as Isopellotine. See as Gigantine. See as Tehuanine. See as O-Methyl-anhalidine. See as O-Methylanhalonidine. See as N-Methylanhalinine
C13H19NO4. See as Norweberine. See as Deglucopterocereine. See as Tehuanine-N-oxide. See as N-Acetylmescaline
C13H19NO5. See as Deglucopterocereine-N-oxide. See as Mescaloxylic acid
C13H20NO3I. See as Anhalotine. See as Anhalotine
C14H17NO4. See as Isopachycereine. See as N-Acetylanhalonine. See as Peyoglutam. See as N-Acetyl-Anhalonine. See as Peyoglutam
C14H19NO3. See as Peyophorine
C14H19NO4. See as Dehydropachycereine. See as N-Formyl-O-methylanhalonidine
C14H19NO5. See as O-Methylpeyoruvic acid
C14H20NO3+. See as Lophotine
C14H20NO3I. See as Lophotine
C14H21NO3. See as O-Methylpellotine
C14H21NO4. See as Weberine
C14H21NO5. See as Mescaloruvic acid
C14H22NO3I. See as Peyotine
C15H19NO4. See as Mescalotam. See as Mescalotam
C15H19NO5. See as Mescaline maleimide . See as Mescaline malimide . See as Mescaline succinamide
C15H23NO2. See as Lophocerine
C15H23NO4. See as N-Methylpachycereine
C16H19NO5. See as Peyonine
C17H19NO7. See as Mescaline isocitrimide lactone
C17H21NO5. See as Peyoglunal
C17H21NO8. See as Mescaline citrimide
C19H25NO2. Normetanephrine
C19H29NO9. See as Pterocereine
C30H40N2O4. See as Lophocine
C45H65N3O6. See as Piloceredine. See as Pilocereine
C8H11N. See as N-Methyl-

phenethylamine. See as Phenethylamine
C8H11NO. See as Tyramine
C8H11NO2. See as Dopamine. See as Octopamine
C8H11NO3. See as Norepinephrine
C9H13NO. See as 4-Methoxyphenethylamine. See as N-Methyltyramine
C9H13NO2. See as 3-Methoxytyramine. See as Epinine. See as Synephrine
C9H13NO3. See as 3,4-Dihydroxy-5-methoxyphenethylamine. See as Epinephrine
CA Reg No.: 97-31-4. Normetanephrine
CA Reg. No.: 104-14-3. See as Octopamine
CA Reg. No.: 120-20-7. See as 3,4-Dimethoxyphenethylamine
CA Reg. No.: 138-65-8. Norepinephrine
CA Reg. No.: 14097-39-3. See as Longimammosine
CA Reg. No.: 14788-32-0. See as Longimammidine
CA Reg. No.: 149-95-1. Norepinephrine
CA Reg. No.: 16620-96-5. See as N-Methylheliamine
CA Reg. No.: 1745-06-8. See as Nortehuanine
CA Reg. No.: 1745-07-9. See as Heliamine
CA Reg. No.: 17627-77-9. See as Anhalonidine
CA Reg. No.: 19267-93-7. See as Anhalotine
CA Reg. No.: 19267-94-8. See as Lophotine
CA Reg. No.: 19445-62-6. See as Anhalotine iodide
CA Reg. No.: 19485-63-3. See as Lophocerine
CA Reg. No.: 19717-25-0. See as Peyonine
CA Reg. No.: 2202-68-8. See as Ubine (racemic)
CA Reg. No.: 2245-94-5. See as Anhalidine
CA Reg. No.: 2552-47-8. See as Pilocereine
CA Reg. No.: 25526-36-7. See as Peyotine
CA Reg. No.: 29193-99-5. See as Peyoxylic acid
CA Reg. No.: 29194-00-1. See as Peyoruvic acid
CA Reg. No.: 30147-93-4. See as Tehuanine
CA Reg. No.: 31241-40-4. See as 1-Methyl-6,7-dimethoxy-8-hydroxy-3,4-dihydroisoquinoline
CA Reg. No.: 3213-30-7. See as 3-Hydroxy-4-methoxyphenethylamine
CA Reg. No.: 32829-58-6. See as Gigantine
CA Reg. No.: 3382-18-1. See as Dehydroheliamine
CA Reg. No.: 34222-77-0. See as Longimammidine
CA Reg. No.: 34319-92-1. See as Tepenine
CA Reg. No.: 35048-35-2. See as N-Methylisosalsoline.
CA Reg. No.: 35646-08-3. See as O-Methylanhalonidine
CA Reg. No.: 35803-88-4. See as N-Methyl-4-methoxyphenethylamine
CA Reg. No.: 370-98-9. See as N-Methyltyramine
CA Reg. No.: 37484-64-3. See as Isoanhalidine
CA Reg. No.: 37484-65-4. See as Isoanhalonidine
CA Reg. No.: 37484-66-5. See as Isopellotine
CA Reg. No.: 38221-25-9. See as (S)-Carnegine
CA Reg. No.: 3851-33-0. See as Anhalonidine (±)-form
CA Reg. No.: 38520-68-2. See as Salsolidine
CA Reg. No.: 4091-50-3. See as N-Methyl-4-methoxyphenethylamine
CA Reg. No.: 411136-36-1. See as Normacromerine
CA Reg. No.: 41303-72-4. See as O-Methylpeyoruvic acid
CA Reg. No.: 41303-73-5. See as O-Methylpeyoxylic acid
CA Reg. No.: 42923-77-3. See as Longimammatine
CA Reg. No.: 43207-78-9. See as Weberidine
CA Reg. No.: 4593-89-9. See as N-Acetylmescaline
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***Astrophytum* cv. Tiger Kalb**


Trichocereus lucernatus
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Trichocereus chilensis

Trichocereus pachanoi
(VIC)