Psilocybe cf. subyungensis Guzmán
Stijve & de Meijer 1993: 0.033%.

Psilocybe uruguayensis Sing. ex Guzmán
Stijve & de Meijer 1993: 0.015-0.020%.

Psilocybe weilii Guzmán, Stamets & Tapia
Stamets 1996

Psilocybe zapotecorum Heim
Stijve & de Meijer 1993: nd-0.02%.

Activity:
Psychoactive in animals. Ott 1996 cited Cerletti 1968
[Cerletti et al. 1968 evaluated 4-HO-MMT rather than
Baeocystine. See comments under its entry]

Dose:
Psychoptic in human with a 10 mg oral dose (4
mg threshold) (Ott 1996 cited Gartz, pers. comm.)

See:
Ott 1996: Entry #3, page 431
Usdin & Efron 1979: Entry 367, page 121

Psilocin

4-Hydroxy-N,N-dimethyltryptamine;
3-[2-(Dimethylamino)ethyl]-1H-indol-4-ol sc;
3-[2-Dimethylaminoethyl]indol-4-ol;
3-[2-(Dimethylamino)ethyl]indol-4-ol;
3-[2-Dimethylaminoethyl] indol-4-ol;
3-[2-Dimethylaminoethyl]-4-hydroxyindole;
Tryptamine, 4-Hydroxy-N,N-dimethyl;
3-[2-(Dimethylamino)ethyl]-4-indolol; 4-Indolol, 3-
[2-(Dimethylamino)ethyl]; N,N-Dimethyl-4-
hydroxytryptamine; 4-Hydroxy-N,N-dimethyl-
tryptamine; 4-Hydroxy-α,N,N-dimethyltryptamine;
4-Hydroxy-α-N,N-dimethyltryptamine;
4-Hydroxy-dimethyltryptamine;
4-Hydroxydimethyltriptamine (a slightly freudian
misspelling encountered in a work for forensic
analysts); Psilocyn (a misspelling encountered in law);
Psilocine; Psilocin; Psilocina; Psilotrin (Sandoz);
4-CHO-DMT; 4-OH-DMT; 4-OH-DMTPA;
CX 59; CX-59 (Sandoz);
PSOH; Psc; PⅠ.

WLN: T56 BMJ D2N1&1 FQ
Hayward: 6R3RQY5L(CCNM2)=LNHY
Usdin & Efron 1979

Chemical Abstracts Registry Number: 520536
[00520536][520-53-6]
NIOSH #: NM 2625000 Sax 1984

Schedule 1 Controlled substance: Ott 1996

C 70.6%, H 7.9%, N 13.7%, O 7.8%

Hofmann et al. 1958
C 70.56%, H 7.89%, N 13.71%, O 7.83% Ott 1996
C 70.56%, H 7.90%, N 13.71%, O 7.83% Merck 9a

Free base:
White oil; then crystallizing
mp 103-104° (white crystals from ethyl acetate/hexane)
Shulgin & Shulgin 1997
mp 173-176° (prisms from ethyl acetate) Troxler et al. 1959
mp 173-176° (plates from methanol) Ott 1996
mp 173-176° (white crystals) Clarke’s 1986
mp 173-176° (dec.) Perkal 1981

Free base
Very sensitive to oxidation. Hofmann 1971
Forms plates from methanol; very slightly soluble
(“difficulty”) sin water; unstable in solution, especially
alkaline solutions. Merck 9h
Slightly soluble in water.
Soluble in methanol [See note by Kysilka & Wurst 1990
below], ethanol, chloroform. Ott 1996
Soluble in ethanol and in dilute acetic acid (will be as acetate)
Clarke’s 1986
Soluble in dilute acids
Soluble in dilute bases
Soluble in butyl chloride or chloroform [or others?]
Lee 1985

Crystal structureis monoclinic Weber & Petcher 1974

Chloroform-Water Partition coefficient: 5.52
Gessner et al. 1968 (this had been manually changed in the
UT library copy to 3.30; Migliaccio et al. 1981 also gave
this latter figure as that reported by Gessner)

Octanol-Water partition coefficient: 0.68 (uncorrected);
1.45 (corrected for ionization)
Migliaccio et al. 1981

pKa 8.47 (N); 11.33 (OH) Migliaccio et al. 1981
(Degrades above pH 7; Casale 1985)

Once provided as a human research material by Sandoz in
bulk powder & 1 ml ampuls containing 3 mg/ ml (6 ampuls
per box) Scigliano 1968. Sandoz still provides reference stan-
dards to researchers in Europe; as does Merck.

Trout’s Notes on Tryptamines: 4-Substitution

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3-[2-(Dimethylamino)ethyl]indol-4-ol;
3-[2-Dimethylaminoethyl]-4-hydroxyindole;
Tryptamine, 4-Hydroxy-N,N-dimethyl;
3-[2-(Dimethylamino)ethyl]-4-indolol; 4-Indolol, 3-
[2-(Dimethylamino)ethyl]; N,N-Dimethyl-4-
hydroxytryptamine; 4-Hydroxy-N,N-dimethyl-
tryptamine; 4-Hydroxy-α,N,N-dimethyltryptamine;
4-Hydroxy-α-N,N-dimethyltryptamine;
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per box) Scigliano 1968. Sandoz still provides reference stan-
dards to researchers in Europe; as does Merck.
4-OH-DMT

**Assays for Psilocin:**

**Colorimetric reagents:** See color reactions p. 140

**TLC:** See Rf table p. 169-176
Horita & Weber 1961 Cinnamaldehyde-HCl on paper
PSOP & PSOH can be separated using Chloroform-Methanol-Ammonia (80:20:10). (PSOP Rf 0.0)
Mantle & Waight 1969
Steinigen 1972 detected with 254 nm UV. Alliston et al. 1971 used 360 and 254 nm prior to drying and 254 after drying (both prior to application of PDAB) PSOP was said not to fluoresce in their system at 360 and to absorb at 254 nm.

**HPLC:**
Borner & Brenneisen 1987
Christiansen & Rasmussen 1983
Clarke’s (not quantitative)
Kysilka & Wurst 1985 & 1989
Perkal 1981 & Perkal et al. 1980
Thomson 1980
Vanhaelen-Fastré & Vanhaelen 1984
White 1979
Wurst et al. 1984 & 1992

**GC:** Clarke’s

**UV:**
Absorbs (quenches) 254 nm UV Alliston et al. 1971
221, 266, 282 & 292 nm (MeOH)
Christiansen & Rasmussen 1982a
222, 260, 267, 282, 293 nm (MeOH)
Marcano et al. 1994
266 nm (aq. acid)
Clarke’s Second
270, 293 nm (aq. alkali)
Clarke’s Second

λ<sub>max</sub>: 222, 260, 267, 283, 293 nm (log ε 4.6, 3.7, 3.8, 3.7, 3.6)
Merk 9th & Perkal 1981
λ<sub>max</sub> [log ε]: 222 [4.63], 268 [3.77], 285 [3.67], 294 [3.64], (260) [3.72] Troxler et al. 1959
λ<sub>max</sub>: 220, 269, 281, 291 (0.1N HCl) Sunshine 1981 (see also p. 89 & 119 therein)
UVMax 222, 260, 267, 283, 293 nm
Wurst et al. 1984 (detection limit in HTLC: 40 ng)
λ<sub>max</sub> (MeOH) 222, 260 (sh), 268, 285, 294
Weeks et al. 1979
See also (graphic) Lee 1985

**Fluorescence:**

Christiansen & Rasmussen 1982b. reported that psilocin fluoresced only weakly.
Marcano et al. 1994 reported an “Obscure bluish-purple” under UV in TLC.
Perkal et al. 1980: Weakly at 312 nm: excitation at 260 nm (in MeOH-water: 20:80) containing 0.2% Ammonium phosphate & 0.1% KCl (pH 4.5)

PSOP & PSOH can be separated using Chloroform-Methanol-Ammonia (80:20:10). (PSOP Rf 0.0)

**MS:**
Bellman 1968 (m/e 58, 78, 130, 146, 159, 204)
Clarke’s 1986 (m/z 58, 204, 59, 42, 30, 146, 77, 44)
Shulgin & Shulgin 1997 [m/z C<sub>9</sub>H<sub>8</sub>N<sub>4</sub> 58 (100%);
parent ion 204 (15%); indolemethylene 146 (3%); 159 (2%)]
Weeks et al. 1979: m/e 204 (21%), 160 (2%), 159 (5%), 146 (8%), 130 (4%), 117 (4%) and 58 (100%)
EI-MS (graphic) Casale 1985
MIKES (graphic): Unger & Cooks 1979

**GC-MS:** Mehler et al. 1999
Timmins 1984
Wurst et al. 1992

**IR:**
Clarke’s 1986 (cm<sup>-1</sup>): 3650, 3400, 3240 (the OH stretch is at 3240)
Casale 1985 (graphic)
Lee 1985 (graphic)
Sunshine 1981: #42, p. 257 (graphic)

**NMR:** Migliaccio et al. 1981

**Crystal structure:** Weber & Petcher 1974b

**Review:** Hofmann 1971

**Synthesis:**
Heim et al. 1960 (Sandoz) German Patent 1,087,321
Hofmann et al. 1958 & 1959
Shulgin & Shulgin 1997

**Formation via the hydrolysis of Psilocybin:**
Produced by hydrolysis of psilocybin at 150°.
Hofmann et al. 1958
Treatment of Psilocybin with 1% HCl formed Psilocin with 1 hr of heating on a boiling water bath.
Koike et al. 1981
Can also be produced by the action of alkaline phosphatase on PSOP. Horita & Weber 1961b

**Isolation:**
Hofmann et al. 1958 & 1959
Cold & room temperature methanol have been employed by multiple workers successfully.
Butanol or ethanol combined with acetic acid and water also appears to be an excellent solvent.
For direct consumption, simple extraction with lime juice and water works extremely well (color of solution is tawny not blue.)
Mushrooms were soaked for half an hour in methanol (15 ml for 2 gm of material). The methanol was removed and the residue dissolved in a 0.1N sodium hydroxide solution (25 ml). This was then extracted with butyl chloride (25 ml). Evaporation of the butyl chloride apparently left relatively pure Psilocin.

(Alternately the butyl chloride was extracted with a dilute acid and the Psilocin migrated into the acid phase for spectroscopic purposes.)

There was no mention of the % of efficiency for either the initial extraction or any steps of the subsequent isolation process.

Lee 1985

Dried powdered mushrooms (2-10 gm) were combined with dilute acetic acid (100 ml) in a beaker. Glacial acetic acid then used to bring the pH to 4.

The beaker was allowed to stand for one hour and then heated for 8-10 minutes in a boiling water bath. (Or until solution temperature reached 70°C)

The beaker was then cooled to RT using running water and vacuum filtered through glass wool.

After the filtrate was brought to pH 8 using concentrated ammonium hydroxide, it was quickly extracted twice with 50 ml of ether. (Psilocin degrades at pH >7 hence the need for speed.)

To prevent emulsion formation they recommended a gentle mixing and not shaking.

After separation and combining, the ether is then dried over sodium sulfate, filtered and evaporated without heat under nitrogen.

The greenish residue was crude Psilocin.

White crystals were obtained by recrystallizing from Chloroform-Heptane (1:3).

The product was said to be “reasonably pure.”

Casale 1985 (No indication of efficiency)

Kysilka & Wurst 1990 commented that due to the use of methanol as an extraction solvent by many workers, a lot of the published figures for PSOH content and probably notes of its absence were likely to be low or erroneous. They found that replacement of methanol with 75% aqueous ethanol and use of a longer extraction time (160 minutes) provided them with an increase in yield. See comments page 229.

Gartz on the other hand apparently claimed completely opposite results (see p. 229). See also comments concerning Stijve & de Meijer 1993

Hasler et al. 1997 found addition of ascorbic acid to PSOH effectively prevented oxidation at RT but was inadequate for use during autoclaving.

Perkal 1981 found that purging with nitrogen dramatically helped prevent degradation of Psilocin. He also found it to be unstable in alkaline solutions but more stable than psilocybin under neutral or acidic conditions. Storage in the dark helped preserve his mushroom’s activity even at room temperature.

Reported Occurrences of Psilocin:

There are only a few instances located in which psilocin was reported without the presence of psilocybin.

See note on Kysilka & Wurst 1990 above and a very different opinion by Gartz in the table on p. 229.

See the rest of the reported occurrences of psilocin denoted within the psilocybin occurrence list.

Conocybe kuehneriana Singer

Ohenoja et al. 1987: 0.0% PSOP & 0.004% PSOH

Copelandia cyanescens (Berk. & Br.) Sing.

Allen & Merlin 1992a made 2 collections in Thailand with trivial amounts of PSOP (<0.025%) in comparison to PSOH (0.40% & 1.05%).

Interestingly, BOTH of their collections contained Urea as their major alkaloid (3.3% & 2.0% respectively)

Panaceolus bisporus Bertault & Maleçon Gerhardt

Senn-Irlet et al. 1999: 0.41% PSO & traces only of PSOP. (central Europe)

Psilocybe baecystis Singer & Smith

Benedict et al. 1962a Psilocin was reported without the presence of psilocybin (tryptamine was also present).

Other reports where PSOH was the major and PSOP the minor also exist; these are listed under PSOP. Leung et al. 1965 reported only PSOP with with NO PSOH; results that were the exact opposite of Benedict et al. 1962a but also analyzed the same material of Benedict (as provided by Benedict) where they found PSOH and could only detect trace amounts of PSOP in that sample.

Psilocybe cyanescens

Wurst et al. 1992: 0.0% PSOP & 0.45% PSOH (WA, US: 1984); 0.10% PSOP & 0.47% PSOH (Horni Bradol, Czech. Rep.: 1986)

Activity:

Hallucinogen.

Human dose: 4-8 mg Hofmann et al. 1959

Psychoptic above 6 mg, 2-4 mg threshold (=25 mcg LSD). Ott 1996 cited Abramson & Rolo 1967

Szara 1964 showed that Psilocin and other simple alkylated tryptamines “produce a characteristic regional shift in the serotonin distribution in rabbit brains: an increase in the hypotalamus without a significant change in the amygdala-hippocampal region”

See more comments under Psilocybin pharmacology. While qualitatively the two are nearly identical to each other, there are distinct quantitative differences. Psilocin produces a greater rise in blood pressure, shows over 5X the serotonin antagonism and has over 30 times the pyrogyric activity of Psilocybin.

Also, Stijve 1992 reported more powerful effects when using equivalent amounts of predominately PSOH containing Copelandia cyanescens from Thailand compared to the almost entirely PSOP-containing Psilocybe semilanceata.
Psilocin

Freedman et al. 1970 reported some apparent MAOI activity in vivo in rat brains (greater than PSOP)

**Dose:** 10-20 mg oral Shulgin & Shulgin 1997
300 µg/kg oral Callaway & McKenna 1998

**Duration:** 3-6 hours Shulgin & Shulgin 1997 & Callaway & McKenna 1998

Reported 1.4X more potent than PSOP (difference in MW) but less stable; the phosphoric acid radical protects psilocybin from oxidation: Hofmann 1971
Wolbach et al. 1962 found PSOH was 1.48X PSOP

**Pharmacokinetic data (human):** Hasler et al. 1997

**Tolerance & Drug Interactions:** See pp. 231-235

**Metabolism & Excretion:**
Oddly lacking from the papers we could obtain.
Sticht & Kaferstein 2000 found that most psilocin excreted as psilocin was as the glucoronide conjugate; glucoronidase increasing the recovery dramatically. They reported 0.018 mg/l of free PSOH in serum (vs 0.052 mg/l total) & 0.23 mg/l free vs 1.76 mg/l total in urine. This work was on simple forensic identification. It did not mention other metabolites, include the details of the amount of PSOH ingested or even note the parameters and time of blood or urine collection.

**Receptor site specificity:**
High affinity for 5HT₂₁ (IC₅₀ 190 ± 40 nM), 5-HT₂₃, 5-HT₂₅ (IC₅₀ 6 ± 0.5 nM) & 5-HT₂₇ (IC₅₀ 410 ± 50 nM)
McKenna et al. 1990 & Callaway & McKenna 1998

**Pyrogenic activity of Psilocin:**
EDₙₕF 555  Cerletti et al. 1968

**LD₅₀:** (Usdin & Efron 1979 citing Sandoz)
74 mg/kg iv/ mouse
75 mg/kg iv/ rat
7 mg/kg iv/ Rabbit

**Toxicology review:** Sax 1984 cited 1975 J Med. Assoc. Thailand 58(12) 623

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4-MeO-DMT

See:
Merck 9th: Entry #7711, page 1027
Ott 1996: Entry #38, page 448 [Merck 11th: 7941; Merck 12th: 8110]
Shulgin & Shulgin 1997: Entry #18 page 468-473
Usdin & Efron 1979: Entry #426, page 138

**4-Methoxy-DMT**

3-[2-(Dimethylamino)ethyl]-1H-indol-4-ol methyl ester;
3-[2-(Dimethylamino)ethyl]-4-indol methyl ester;
N,N-Dimethyl-4-methoxytryptamine;
4-Methoxy-N,N-dimethyltryptamine;
4-Methoxy-N,N-dimethyl-tryptamine;
4-Methoxy-N,N-dimethyltryptamine;
Tryptamine, N,N-dimethyl-4-methoxy;
4-Methoxy-ω-N,N-dimethyl-tryptamin;
4-HO-DMT methyl ester; Psilocin methyl ester;
4-MeO-DMT

WLN: T56 BMJ D2N1&1 FO1

**Does not appear to be scheduled.**

C₁₃H₁₈ON₂

MW 218.3

C 71.5%, H 8.3%, O 7.3%, N 12.8%

**Free base**
mp 89-92° (twinned plates from benzene)
Troxler et al. 1959

**Bioxalate**
mp 163.5-164.5° Gessner et al. 1968

**Chloroform-Water partition coefficient:**
2.28
Gessner et al. 1968

**Assays:**

**Colorimetric reagents:**
Keller: Olive-brown
Van Urk: Blue
Troxler et al. 1959

**Synthesis:** Troxler et al. 1959

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Psilocybe subcubensis (above)
Photo above by JW Allen