

RESEARCH MONOGRAPH . COMPOUND PROFILE

5-MeO-DALT

A synthetic 5-methoxy-substituted N,N-diallyltryptamine first disclosed by Alexander Shulgin in 2004, distinguished from classical tryptamine psychedelics by broad-spectrum receptor polypharmacology spanning serotonergic, sigma, adrenergic, and kappa-opioid targets, and characterized in recent receptor binding and behavioral pharmacology studies as a 5-HT_{2A} partial agonist with rapid onset and short duration of action.

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ABSTRACT

5-MeO-DALT (N,N-diallyl-5-methoxytryptamine; CAS 928822-98-4) is a synthetic substituted tryptamine first synthesized and disclosed by Alexander Shulgin in May 2004 and subsequently disseminated through online research chemical markets. The compound is a structural analog of N,N-diallyltryptamine (DALT) bearing a 5-methoxy substituent on the indole ring, placing it in the broader family of 5-methoxy-substituted tryptamines alongside 5-MeO-DMT, 5-MeO-DiPT, and 5-MeO-MiPT, while the N,N-diallyl substitution pattern distinguishes it from all clinically investigated members of this series. Receptor binding profiling conducted by Cozzi and Daley (2016) and extended by Klein, Cozzi, Daley, Brandt, and Halberstadt (2018) across panels of 45 cloned human receptors and transporters has established that 5-MeO-DALT exhibits nanomolar affinity at the 5-HT_{1A} receptor (K_i approximately 19 nM), the 5-HT_{2B} receptor (K_i approximately 59 nM), the 5-HT₇ receptor (K_i approximately 90 nM), and the 5-HT_{1D} receptor (K_i approximately 107 nM), with additional sub-micromolar binding at the 5-HT₆, 5-HT_{2A}, α -2A adrenergic, sigma-1, and sigma-2 receptors. Functional assays demonstrate full agonist activity at the 5-HT_{1A} receptor (E_{max} 99 to 102 percent of reference) and partial to full agonist activity at the 5-HT_{2A} receptor (E_{max} 91 to 114 percent), the latter consistent with the hallucinogenic behavioral profile observed in the mouse head-twitch response assay (ED_{50} 2.25 mg/kg). The compound additionally exhibits measurable affinity at the kappa-opioid receptor and weak activity at dopamine and serotonin transporters, producing a polypharmacological fingerprint that is broader than that of classical N,N-dimethyltryptamine analogs

and that may account for the subjective profile described in self-experimentation reports as qualitatively distinct from other 5-methoxytryptamines. Pharmacokinetic characterization is limited to forensic and case-report data. Oral onset is rapid (less than 15 minutes), duration is short (2 to 4 hours), and the metabolic disposition involves CYP1A2, CYP2C19, CYP2D6, and CYP3A4-mediated N-dealkylation, hydroxylation (both aromatic and aliphatic), O-demethylation, and glucuronide conjugation, as characterized in the Michely et al. (2015) in vitro and rat in vivo metabolism study. A clinical case report documented a serum concentration of 7 ng/mL at 8 hours after ingestion of approximately 97.5 mg, with complete clinical recovery by 12 hours, consistent with a short elimination half-life. The compound has no therapeutic indication, no approved clinical use, and no registration in any jurisdiction. It is classified as a novel psychoactive substance and is controlled in Japan (2007), Sweden (2012), the United Kingdom (2015, Class A), China (2015), Singapore (2015), and in the United States states of Florida and Louisiana (Schedule I), but is not scheduled at the United States federal level. The published toxicological literature comprises a small number of case reports documenting loss of consciousness, visual hallucinations, delirium, rhabdomyolysis, and one reported fatality. No systematic preclinical safety pharmacology, reproductive toxicology, or genotoxicity studies have been published. This monograph documents the chemistry, synthesis, receptor pharmacology, metabolism, behavioral pharmacology, reported adverse events, legal status, and comparative positioning of 5-MeO-DALT against five structurally or pharmacologically related tryptamines across five assessment standards.

1. Compound Identification

1.1 Names, synonyms, and registry identifiers

Systematic name: N,N-Diallyl-5-methoxytryptamine.

International Union of Pure and Applied Chemistry name: N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-N-(prop-2-en-1-yl)prop-2-en-1-amine.

Common names and abbreviations: 5-MeO-DALT; Foxtrot (street/vendor name, principally in the United Kingdom market).

Chemical Abstracts Service registry number: 928822-98-4 (free base). The fumarate salt has been characterized crystallographically but does not carry a separate widely cited CAS number [1].

Structural class: The compound belongs to the N,N-diallyltryptamine (DALT) series, a small family of synthetic tryptamines distinguished from the more common N,N-dimethyl-, N,N-diethyl-, and N,N-diisopropyl-tryptamine series by the presence of two allyl (prop-2-en-1-yl) groups on the terminal amine nitrogen. The 5-methoxy substituent on the indole ring places the compound additionally within the 5-methoxytryptamine family, which includes 5-MeO-DMT, 5-MeO-DiPT, 5-MeO-MiPT, and the endogenous neurotransmitter-related compound bufotenine (5-hydroxy-DMT, which is the O-demethylated analog of 5-MeO-DMT).

1.2 Structural class and chemistry

5-MeO-DALT is a monosubstituted indole alkaloid analog. The core structure comprises a tryptamine backbone (3-(2-aminoethyl)indole) bearing a methoxy group at the 5-position of the indole ring and two allyl substituents on the terminal nitrogen.

Molecular formula: C₁₇H₂₂N₂O.

Exact mass: 270.1732 g/mol (monoisotopic).

Molecular weight: 270.37 g/mol (free base).

Physical properties: The freebase is a crystalline solid. The crystal structure of the freebase form was determined by Sherwood et al. (2020) and deposited in the Cambridge Structural Database; the indole unit is nearly planar, with the methoxy group coplanar with the aromatic ring system and minimal deviation from planarity [1]. The fumarate salt ("Foxtrot fumarate") is a white to off-white crystalline powder, freely soluble in water, characterized crystallographically by Sherwood et al. (2021) [2]. Solubility of the free base in organic solvents (methanol, ethanol, dimethyl sulfoxide, chloroform) is good; aqueous solubility of the free base is limited but adequate for in vitro pharmacological assays at micromolar concentrations.

Stereochemistry: 5-MeO-DALT does not contain a stereocenter and is achiral. No stereochemical considerations apply to identity or purity confirmation.

1.3 Synthesis

The original synthesis of 5-MeO-DALT was performed by Alexander Shulgin and communicated privately in May 2004 [3, 4]. The synthesis follows the standard route for N,N-disubstituted tryptamines: reductive alkylation or direct N-alkylation of 5-methoxytryptamine with allyl bromide (2-propenyl bromide) in a suitable solvent (dimethylformamide, acetonitrile, or dichloromethane) in the presence of a non-nucleophilic base (potassium carbonate, triethylamine, or diisopropylethylamine). The reaction proceeds through sequential monoallylation and diallylation; the diallylated product is the principal product when excess allyl bromide is employed (typically 2.2 to 2.5 equivalents). Purification is achieved by column chromatography on silica gel or by recrystallization. The free base can be converted to the hydrochloride or fumarate salt by treatment with the corresponding acid in anhydrous diethyl ether or ethanol.

An alternative synthesis employs the Speeter-Anthony route: Fischer indole synthesis of 5-methoxyindole-3-acetic acid from 4-methoxyphenylhydrazine and levulinic acid, followed by Arndt-Eistert homologation or direct reduction to the tryptamine, and then N,N-diallylation as above. Commercial laboratory synthesis for the research chemical market has employed both routes, with the direct N-alkylation of commercially available 5-methoxytryptamine being the more common owing to the ready availability of the starting material.

Analytical characterization of 5-MeO-DALT and 16 ring-substituted DALT derivatives by Brandt et al. (2016) has provided comprehensive spectroscopic reference data (proton and carbon-13 nuclear magnetic resonance, electron ionization mass spectrometry, gas chromatography retention indices) for forensic and research identification [5].

2. Abstract

5-MeO-DALT (N,N-diallyl-5-methoxytryptamine) is a synthetic substituted tryptamine that occupies a distinctive pharmacological position within the broader tryptamine psychedelic class. First synthesized by Alexander Shulgin in 2004 and disseminated through the online research chemical market beginning in June 2004, the compound emerged as one of the earliest novel psychoactive substances (NPS) in the synthetic tryptamine category and has been the subject of a modest but growing pharmacological literature [3, 4].

The receptor binding profile, established through two comprehensive radioligand displacement studies by Cozzi and Daley (2016) and Klein, Cozzi, Daley, Brandt, and Halberstadt (2018), distinguishes 5-MeO-DALT from classical psychedelic tryptamines by its broad polypharmacological activity [6, 7]. The compound exhibits high affinity at the 5-HT_{1A} receptor (K_i approximately 19 nM), the 5-HT_{2B} receptor (K_i approximately 59 nM), and the 5-HT₇ receptor (K_i approximately 90 nM), in addition to the 5-HT_{2A} receptor binding (K_i approximately 218 nM) that is the conventional pharmacological correlate of tryptamine hallucinogenic activity. Sub-micromolar affinity is also observed at sigma-1 (K_i 301 nM), sigma-2 (K_i 253 nM), alpha-2A adrenergic (K_i 215 nM), and 5-HT₆ (K_i 153 nM) receptors. Functional activity at the 5-HT_{2A} receptor is agonistic, consistent with the hallucinogenic behavioral profile demonstrated in the mouse head-twitch response assay (ED₅₀ 2.25 mg/kg) [7].

The compound has no therapeutic indication, no approved clinical use, and no controlled clinical trial data. The published human experience is limited to self-experimentation reports (Shulgin's original bioassay at 12 to 29 mg orally, describing positive emotional changes, closed-eye visuals, and a subjective profile characterized as "light in psychedelic character"), forensic case reports of acute intoxication, and one published fatality [3, 8]. The pharmacokinetic profile is characterized by rapid oral absorption (onset less than 15 minutes), short duration (2 to 4 hours), and hepatic metabolism through multiple cytochrome P450 isoforms [9]. The safety profile is undefined by systematic preclinical or clinical standards. This monograph reviews the available scientific literature comprehensively, documents the receptor pharmacology in quantitative detail, and positions 5-MeO-DALT in the context of five structurally or pharmacologically related comparator tryptamines.

3. Discovery and Development History

3.1 Origin and disclosure

5-MeO-DALT was synthesized by Alexander Shulgin, the American pharmacologist and chemist whose systematic exploration of phenethylamine and tryptamine structure-activity relationships produced many of the compounds in the contemporary psychedelic pharmacopoeia. Shulgin communicated the synthesis and initial bioassay data to a research associate (identified online by the pseudonym "Murple") in May 2004 [3]. The material was subsequently shared with other researchers and online communities, and by June 2004 the compound was available from internet-based research chemical vendors, with commercial synthesis reportedly conducted by laboratories in China [4].

In August 2004, Shulgin's synthesis notes and bioassay descriptions were published on the Erowid online reference resource. The compound was included in preparatory materials for a planned third volume of Shulgin's pharmacopoeia series (following PiHKAL and TiHKAL), though this volume was not published before Shulgin's death in 2014 [3].

3.2 Context within the DALT series

The DALT (N,N-diallyltryptamine) series was not extensively explored before Shulgin's work. The unsubstituted parent compound DALT was reported by Shulgin to have few discernible psychoactive effects at doses up to 80 mg orally, contrasting sharply with the psychoactivity of 5-MeO-DALT at 12 to 20 mg [3, 4]. This potency enhancement by the 5-methoxy substituent is consistent with the general pattern observed across tryptamine series: 5-methoxy substitution typically increases serotonin receptor affinity, enhances blood-brain barrier penetration, and increases psychoactive potency relative to the unsubstituted parent. The same pattern is observed in the DMT series (DMT versus 5-MeO-DMT) and the DiPT series (DiPT versus 5-MeO-DiPT).

3.3 Emergence as a novel psychoactive substance

5-MeO-DALT entered the novel psychoactive substance marketplace rapidly after its online disclosure. The compound was sold as a "research chemical" or "plant food" through internet vendors, principally in the United Kingdom, continental Europe, and the United States [4, 8]. The first regulatory responses occurred in Japan (controlled in April 2007) and Sweden (Schedule I narcotic classification in May 2012). The United Kingdom controlled the compound as a Class A drug under the Misuse of Drugs Act in January 2015, and China added it to controlled substance schedules in October 2015 [10].

3.4 Scientific investigation timeline

The earliest pharmacological characterization beyond Shulgin's bioassay was the Corkery et al. (2012) brief review in *Progress in Neuro-Psychopharmacology and Biological Psychiatry*, which compiled the available pharmacological, toxicological, and epidemiological data and reported the first known fatality involving the compound [8]. Systematic receptor binding profiling was published by Cozzi and Daley (2016), who screened 5-MeO-DALT and related 5-substituted DALTs across a panel of 45 cloned human receptors and transporters [6]. Klein et al. (2018) extended this work with behavioral pharmacology (head-twitch response) and additional binding data for ring-substituted DALT analogs, including 5-MeO-DALT [7]. Michely et al. (2015) characterized the in vitro and in vivo metabolism [9]. Sherwood et al. (2020, 2021) provided crystallographic characterization of the freebase and the fumarate salt forms [1, 2].

3.5 Absence of therapeutic development

5-MeO-DALT has not entered any formal therapeutic development program. No investigational new drug application, clinical trial authorization, or equivalent regulatory filing has been made for the compound in any jurisdiction. The compound exists entirely within the research chemical and forensic pharmacology literature. This distinguishes it from structurally related tryptamines such as 5-MeO-DMT and psilocybin (4-phosphoryloxy-DMT), both of which have entered formal clinical development for psychiatric indications.

4. Mechanism of Action

4.1 Serotonin receptor pharmacology

The mechanism of action of 5-MeO-DALT is dominated by serotonergic activity across multiple receptor subtypes. Radioligand displacement assays conducted by Cozzi and Daley (2016) and Klein et al. (2018) established the following binding profile at cloned human serotonin receptors [6, 7]:

5-HT1A: K_i approximately 19 nM. Functional assays indicate full agonist activity with E_{max} of 99 to 102 percent of the serotonin reference response. This high-affinity 5-HT1A agonism is shared with 5-MeO-DMT and other 5-methoxytryptamines and contributes to the anxiolytic, hypothermic, and serotonin syndrome-related effects observed with this compound class.

5-HT1B: K_i approximately 735 nM. Moderate affinity; functional significance at pharmacologically relevant concentrations is uncertain.

5-HT1D: K_i approximately 107 nM. Sub-micromolar affinity consistent with the general tryptamine binding pattern at this receptor.

5-HT1E: K_i approximately 500 nM. Moderate affinity. The 5-HT1E receptor is poorly characterized functionally and its contribution to the pharmacological profile is unclear.

5-HT2A: K_i approximately 218 nM. Functional assays demonstrate agonist activity with E_{max} of 91 to 114 percent of reference, consistent with partial to full agonism depending on assay conditions. The 5-HT2A receptor is the principal pharmacological correlate of hallucinogenic activity in the tryptamine class, and the agonist activity of 5-MeO-DALT at this receptor is consistent with its psychoactive and hallucinogenic effects in humans and with the head-twitch response in mice [7].

5-HT2B: K_i approximately 59 nM. High affinity with agonist activity (E_{max} 86 to 90 percent). The 5-HT2B receptor is expressed on cardiac valvular interstitial cells, and chronic 5-HT2B agonism has been associated with valvular heart disease (the mechanism underlying fenfluramine-induced cardiac valvulopathy). The clinical

significance of 5-MeO-DALT 5-HT_{2B} agonism is unknown given the absence of chronic dosing data, but the high affinity at this target is a theoretical safety concern for any chronic administration paradigm.

5-HT_{2C}: Ki approximately 456 nM. Moderate affinity with agonist activity (E_{max} 88 to 99 percent). The 5-HT_{2C} receptor contributes to appetite suppression, anxiety modulation, and mesolimbic dopamine regulation.

5-HT_{5A}: Ki approximately 3,312 nM. Low affinity; unlikely to contribute meaningfully at pharmacologically relevant concentrations.

5-HT₆: Ki approximately 153 nM. Sub-micromolar affinity. The 5-HT₆ receptor is expressed predominantly in the central nervous system (striatum, hippocampus, cortex) and has been implicated in cognition, mood, and feeding behavior.

5-HT₇: Ki approximately 90 nM. High affinity. The 5-HT₇ receptor is implicated in circadian rhythm regulation, thermoregulation, and mood. This target is shared with several other 5-methoxytryptamines and may contribute to the subjective and physiological effects of the compound.

4.2 Non-serotonergic receptor pharmacology

Beyond the serotonin receptor family, 5-MeO-DALT exhibits sub-micromolar or low-micromolar affinity at several additional targets [6, 7]:

Sigma-1 receptor: Ki approximately 301 nM. The sigma-1 receptor is a chaperone protein at the endoplasmic reticulum-mitochondrial interface involved in calcium signaling, neuroplasticity, and modulation of ion channels. Several psychedelic tryptamines (DMT, 5-MeO-DMT) also bind sigma receptors, and the sigma-1 interaction has been proposed as a contributor to the subjective and neuroplastic effects of the tryptamine class.

Sigma-2 receptor: Ki approximately 253 nM. The sigma-2 receptor (TMEM97) is involved in cell proliferation, apoptosis, and cholesterol homeostasis. Its role in the pharmacology of psychoactive tryptamines is not well characterized.

Alpha-2A adrenergic receptor: Ki approximately 215 nM. Alpha-2A adrenergic activity may contribute to sympathomimetic or sedative effects depending on pre-versus postsynaptic site of action. Alpha-2 adrenergic binding is a feature of several indole alkaloids and synthetic tryptamines.

Alpha-2B adrenergic receptor: Ki approximately 726 nM.

Alpha-2C adrenergic receptor: Ki approximately 1,467 nM.

Histamine H1 receptor: Ki approximately 505 nM. The H1 affinity is modest and may contribute to sedative effects at higher doses.

Histamine H3 receptor: Ki approximately 1,712 nM. Low affinity; unlikely to be pharmacologically meaningful.

Kappa-opioid receptor: Activity at approximately 76 percent of the salvinorin A reference at 1 micromolar concentration has been reported. The kappa-opioid activity is qualitatively distinct from classical tryptamine pharmacology and may contribute to dysphoric or dissociative components of the subjective experience at higher doses.

Dopamine D3 receptor: Ki greater than 10,000 nM. No significant dopaminergic binding.

Alpha-1A adrenergic receptor: Ki greater than 10,000 nM. No significant alpha-1 adrenergic binding.

Serotonin transporter (SERT): Ki approximately 499 nM. Moderate affinity, indicating a degree of serotonin reuptake inhibition that may augment the direct serotonin receptor agonism, particularly at higher doses.

4.3 Functional activity and hallucinogenic mechanism

The hallucinogenic activity of 5-MeO-DALT is attributed primarily to 5-HT_{2A} receptor agonism, consistent with the established pharmacological model for tryptamine and phenethylamine hallucinogens. In the mouse head-twitch response assay (a validated behavioral proxy for 5-HT_{2A}-mediated hallucinogenic activity), Klein et al. (2018) determined an ED₅₀ of 2.25 mg/kg (95 percent confidence interval 1.82 to 2.78 mg/kg), with a peak response of 19.8 plus or minus 1.5 head twitches at the 3.5 mg/kg dose over a 20-minute observation period [7]. The head-twitch response was blocked by the selective 5-HT_{2A} antagonist M100907 (volinanserin), confirming the 5-HT_{2A}-dependent mechanism.

Within the DALT series, the rank order of head-twitch potency was 4-acetoxy-DALT greater than 5-fluoro-DALT greater than 5-methoxy-DALT greater than 4-hydroxy-DALT greater than DALT greater than 5-bromo-DALT [7]. The intermediate po-

tency of 5-MeO-DALT relative to some other substituted DALTs is consistent with the moderate 5-HT_{2A} binding affinity (K_i 218 nM) relative to the higher-affinity 4-acetoxy and 5-fluoro analogs.

4.4 Composite pharmacology

The composite pharmacological profile of 5-MeO-DALT is more complex than that of the structurally simpler classical psychedelic tryptamines (DMT, psilocin, 5-MeO-DMT). The concurrent high-affinity engagement of 5-HT_{1A}, 5-HT_{2A}, 5-HT_{2B}, 5-HT₇, sigma-1, sigma-2, and alpha-2A targets produces a polypharmacological fingerprint that may account for the subjective reports of a qualitatively distinct psychedelic experience described as "light in psychedelic character" with prominent entactogenic and somatic components rather than the intense visual and transpersonal effects characteristic of 5-MeO-DMT [3]. The 5-HT_{1A} agonism, in particular, may oppose and modulate the 5-HT_{2A}-mediated psychedelic effects, a pharmacodynamic interaction that has been characterized for other dual 5-HT_{1A}/5-HT_{2A} agonists in the tryptamine class.

5. Pharmacokinetics and Routes of Administration

5.1 Absorption and onset

Oral administration is the principal route described in self-experimentation reports and clinical case data. Onset of psychoactive effects after oral administration is rapid, typically less than 15 minutes, suggesting rapid gastrointestinal absorption and rapid blood-brain barrier penetration [3, 4]. The rapid onset is consistent with the lipophilicity conferred by the 5-methoxy group and the diallyl substitution pattern, which would predict favorable passive diffusion across biological membranes.

Sublingual, insufflation (nasal), and rectal routes have been described in user reports. Insufflation produces onset within 1 to 5 minutes with reportedly more intense initial effects and shorter overall duration. No formal bioavailability studies have been conducted for any route of administration.

5.2 Distribution

No formal distribution studies have been conducted in any species. The molecular properties (molecular weight 270.37, calculated logP approximately 2.8, polar surface area approximately 32 square angstroms) predict moderate to high lipophilicity, good blood-brain barrier penetration, and moderate to high volume of distribution. The compound is expected to distribute broadly into lipophilic tissues including the central nervous system.

5.3 Metabolism

The metabolism of 5-MeO-DALT has been characterized by Michely et al. (2015) using in vitro incubation with pooled human liver microsomes and recombinant cytochrome P450 isoforms, complemented by in vivo analysis of rat urine after oral administration [9].

Phase I metabolic pathways: Six principal metabolites were identified, reflecting the following transformations:

(a) N-Deallylation: removal of one allyl group, producing the mono-N-allyl metabolite. This is the principal Phase I pathway and produces the most abundant urinary metabolite in the N,O-bis-dealkyl form.

(b) Aromatic hydroxylation: hydroxylation at the indole ring, producing ring-hydroxy metabolites. The specific position(s) of hydroxylation (C-4, C-6, or C-7) were not fully resolved but are consistent with the CYP2D6-mediated aromatic hydroxylation pattern observed for other indole alkaloids.

(c) Aliphatic hydroxylation: hydroxylation on the allyl side chain, producing allyl-hydroxy metabolites.

(d) O-Demethylation: removal of the 5-methoxy group, producing the corresponding 5-hydroxytryptamine (bufotenine-type) derivative. This pathway is consistent with CYP2D6 and CYP1A2 activity on the methoxy group.

(e) N-Oxidation: formation of the N-oxide.

(f) Combinations of the above: dealkylated-hydroxylated and dealkylated-O-demethylated metabolites.

Phase II metabolism: Extensive glucuronide and sulfate conjugation of Phase I metabolites, particularly of the O-demethylated (5-hydroxy) and ring-hydroxylated metabolites.

CYP isoform involvement: The principal CYP isoforms responsible for 5-MeO-DALT metabolism are CYP1A2, CYP2C19, CYP2D6, and CYP3A4 [9]. The involvement of multiple CYP isoforms predicts moderate susceptibility to drug-drug interactions but lower risk of the extreme CYP2D6 polymorphic phenotype observed for compounds metabolized predominantly by a single CYP isoform.

5.4 Elimination

No formal elimination studies have been conducted. In a clinical case report, a serum concentration of 7 ng/mL was measured at approximately 8 hours after oral ingestion of approximately 97.5 mg, with complete clinical recovery by 12 hours

[11]. The short duration of psychoactive effects (2 to 4 hours) and the rapid clinical recovery are consistent with a short elimination half-life, estimated at approximately 2 to 4 hours based on the available pharmacokinetic and clinical data.

Urinary excretion of parent compound and metabolites has been characterized by Michely et al. (2015) for forensic detection purposes. The most useful urinary biomarkers for confirming 5-MeO-DALT exposure are the N,O-bis-dealkyl metabolite and its glucuronide conjugate, detectable by LC-MS/MS and LC-HR-MS/MS methods [9].

5.5 Routes of administration

Oral: The most commonly reported route. Reported psychoactive dose range is 12 to 25 mg for the free base or the fumarate salt. Onset less than 15 minutes; peak effects at approximately 30 minutes; total duration 2 to 4 hours [3, 4].

Insufflation (nasal): Reported at doses of 5 to 15 mg. Onset 1 to 5 minutes; shorter total duration of approximately 1 to 2 hours. The compound is reportedly caustic to nasal mucosa at higher doses.

Sublingual and buccal: Reported anecdotally. No systematic characterization.

Parenteral: No published data on intravenous, intramuscular, or subcutaneous administration.

5.6 Special populations

No data exist for any special population (pediatric, geriatric, hepatic impairment, renal impairment, CYP2D6 polymorphism). The involvement of CYP2D6 in metabolism predicts that CYP2D6 poor metabolizers would experience elevated plasma concentrations and potentially prolonged or intensified effects, analogous to the pattern observed for other CYP2D6-metabolized tryptamines.

6. Preclinical Pharmacology

6.1 In vitro receptor binding

The quantitative receptor binding profile is described in detail in Section 4. The two principal publications providing this data are Cozzi and Daley (2016), who screened 5-MeO-DALT across 45 cloned human receptors and transporters using radioligand displacement assays, and Klein et al. (2018), who extended the characterization with functional activity assays and behavioral pharmacology [6, 7].

The quantitative structure-affinity relationship (QSAR) analysis conducted by Cozzi and Daley (2016) across the 5-substituted DALT series identified a positive correlation between the steric volume parameter (calculated molar refractivity, CMR) of the 5-substituent and binding affinity at the 5-HT1A, 5-HT1D, 5-HT7, and kappa-opioid receptors, with R-squared values exceeding 0.83 for 5-HT1A and 0.86 for 5-HT1D [6]. This structure-affinity relationship provides a predictive framework for the pharmacology of novel 5-substituted DALT analogs and supports the interpretation that the 5-methoxy group is a key determinant of the receptor binding profile.

6.2 In vivo behavioral pharmacology

Head-twitch response (HTR): The principal in vivo behavioral characterization is the mouse head-twitch response study by Klein et al. (2018) [7]. The HTR is a 5-HT2A receptor-mediated behavior in mice that is the most validated preclinical proxy for hallucinogenic activity in humans. 5-MeO-DALT induced the HTR with an ED₅₀ of 2.25 mg/kg (95 percent CI 1.82 to 2.78; 7.3 micromol/kg, 95 percent CI 5.9 to 9.1). The peak response was 19.8 plus or minus 1.5 head twitches at 3.5 mg/kg over 20 minutes. The response was blocked by the selective 5-HT2A antagonist M100907, confirming the 5-HT2A dependence. Within the DALT series, 5-MeO-DALT was of intermediate potency, more potent than the unsubstituted DALT (ED₅₀ 5.67 mg/kg) and less potent than 4-acetoxy-DALT (ED₅₀ 0.56 mg/kg) [7].

Locomotor activity: No published studies of locomotor activity, rotarod, conditioned place preference, self-administration, or drug discrimination have been identified for 5-MeO-DALT.

6.3 Preclinical safety pharmacology

No systematic preclinical safety pharmacology studies (cardiovascular, respiratory, central nervous system safety batteries) have been published for 5-MeO-DALT. The high-affinity 5-HT_{2B} agonism (K_i 59 nM) is a theoretical concern for cardiac valvulopathy with chronic exposure, based on the established association between 5-HT_{2B} agonism and valvular heart disease in the fenfluramine and ergotamine literature. No in vivo cardiac safety data are available.

No genotoxicity, carcinogenicity, or reproductive toxicology studies have been published.

7. Clinical Evidence Base

7.1 Absence of formal clinical studies

No controlled clinical trial, observational study, or systematic clinical investigation of 5-MeO-DALT has been conducted or registered in any jurisdiction. The compound has no therapeutic indication and no clinical development program.

7.2 Self-experimentation reports

The first human bioassay data are from Alexander Shulgin's self-experimentation, reported in his notes published on Erowid in 2004 [3]. Shulgin reported oral doses of 12 to 29 mg of the free base, with the following observations:

At 12 mg: threshold psychoactive effects; "subtle" onset at approximately 20 minutes.

At 20 mg: positive emotional changes, lightheadedness, increased appreciation of music and sexual sensation, closed-eye visual phenomena, and a subjective profile described as "relatively light in psychedelic character" with "a lack of open-eye visuals."

At 29 mg: more pronounced effects with similar qualitative character; mild nausea reported.

The duration was consistently 2 to 4 hours with a rapid return to baseline. Shulgin's descriptions emphasized the entactogenic (empathy-enhancing) and somatic quality of the experience rather than the intense visual or transpersonal phenomena associated with classical psychedelics such as 5-MeO-DMT or psilocybin [3].

7.3 Case reports of acute intoxication

Corkery et al. (2012): The first published case report of 5-MeO-DALT intoxication described a fatality involving a male in his mid-twenties who died in mid-2010 [8]. Circumstances of the death were not fully characterized in the published report,

and the contribution of 5-MeO-DALT relative to other substances or behavioral factors was not definitively established.

Jovel et al. (2014): A case report in the Journal of Emergency Medicine described a patient presenting with acute delirium after reported ingestion of 5-MeO-DALT, with clinical features including extreme agitation, tachycardia, diaphoresis, and combativeness requiring physical restraint and intravenous sedation with benzodiazepines [12].

Frison et al. (2016): A case report described a patient presenting with acute delirium and rhabdomyolysis following 5-MeO-DALT ingestion [13]. Rhabdomyolysis is a recognized complication of sympathomimetic and serotonergic intoxication syndromes and may result from agitation-related muscle activity, hyperthermia, or direct toxicity.

Galland et al. (2022): The most detailed clinical case report, published in the Journal of Analytical Toxicology, described a patient who ingested approximately 97.5 mg of 5-MeO-DALT and presented approximately 1.5 hours later with vomiting, brief loss of consciousness, tremors of the lower extremities, and subsequent visual hallucinations and logorrhea [11]. Serum analysis at 8 hours post-ingestion detected 5-MeO-DALT at 7 ng/mL. The patient recovered fully within 12 hours with supportive care. This case provided the first published quantitative pharmacokinetic data point for 5-MeO-DALT in a human subject.

Neurology case report (2015): A case abstract reported cyclic myoclonus associated with 5-MeO-DALT ingestion, a neurological finding consistent with serotonergic hyperactivation and potentially related to the high-affinity 5-HT_{1A} agonism [14].

7.4 Cluster headache anecdotal reports

Anecdotal reports from patient communities have suggested efficacy of 5-MeO-DALT for cluster headache prophylaxis, consistent with the known efficacy of related indoleamine compounds (psilocybin, LSD, 2-bromo-LSD) in this indication [4]. No controlled data exist, and the anecdotal reports do not constitute clinical evidence.

8. Sourcing and Quality Verification

5-MeO-DALT has been available from internet-based research chemical vendors since June 2004. The compound is supplied as the free base (oil or crystalline solid) or as the fumarate or hydrochloride salt (white to off-white powder). Purity claims from vendors typically range from 95 to 99 percent, but independent analytical verification is essential given the absence of pharmacopoeial standards and the variable quality of internet-sourced research chemicals.

Investigators acquiring 5-MeO-DALT for research purposes should confirm compound identity and purity through:

(a) Gas chromatography-mass spectrometry (GC-MS) or liquid chromatography-tandem mass spectrometry (LC-MS/MS) confirmation of molecular identity. The electron ionization mass spectrum shows a molecular ion at m/z 270 and a base peak at m/z 229 (loss of the allyl group, C_3H_5). Reference spectroscopic data for 5-MeO-DALT and 16 DALT analogs are available in Brandt et al. (2016) [5].

(b) Nuclear magnetic resonance spectroscopy (proton and carbon-13) for structural confirmation.

(c) High-performance liquid chromatography (HPLC) area-percent purity determination, with a minimum acceptable purity of 98 percent for pharmacological studies.

(d) Karl Fischer titration or thermogravimetric analysis for water content.

(e) Chiral analysis is not required (the compound is achiral).

Certified reference standards for 5-MeO-DALT are available from forensic reference standard suppliers (Cayman Chemical, Cerilliant, LGC Standards) in solution (typically 1.0 mg/mL in acetonitrile) and as neat powder. These reference standards are analytically characterized and suitable for use as calibration standards in forensic and pharmacological assays.

The legal status of 5-MeO-DALT varies by jurisdiction (see Section 11.3). Investigators should confirm compliance with local controlled substance regulations before procurement.

9. Reconstitution and Handling

9.1 Preparation of stock solutions

The free base form of 5-MeO-DALT is soluble in dimethyl sulfoxide (DMSO), methanol, ethanol, and chloroform at concentrations exceeding 50 mg/mL. Aqueous solubility of the free base is limited; for aqueous-based assays, the fumarate or hydrochloride salt should be used, or the free base should be dissolved first in DMSO and diluted into aqueous buffer (final DMSO concentration should not exceed 0.1 to 1 percent for cell-based assays).

The fumarate salt is freely soluble in water and is the preferred form for in vitro and in vivo pharmacological studies requiring aqueous formulation [2].

9.2 Storage conditions

The solid compound should be stored at minus 20 degrees Celsius under desiccation in an amber or foil-wrapped container. DMSO stock solutions should be aliquoted for single use and stored at minus 20 degrees Celsius; repeated freeze-thaw cycles should be avoided. Aqueous solutions should be prepared fresh for each experiment or used within 24 hours if stored at 2 to 8 degrees Celsius.

9.3 Stability

No formal accelerated stability studies have been published. The allyl groups are susceptible to oxidation and may degrade over extended storage in solution or in the presence of strong oxidizing agents. The indole ring system is light-sensitive, and protection from light during storage and handling is recommended.

9.4 Analytical confirmation after reconstitution

Investigators should confirm the concentration and integrity of reconstituted stock solutions by UV-Vis spectrophotometry (the 5-methoxyindole chromophore absorbs at approximately 275 nm) or by HPLC prior to use in dose-response experi-

ments. This is particularly important for studies in which dose precision determines the quality of the pharmacological data.

10. Stack Interactions and Combinations

The polypharmacological binding profile of 5-MeO-DALT predicts several classes of drug-drug interactions. No formal interaction studies have been conducted; the following considerations are derived from the known pharmacology and from general principles of tryptamine pharmacology.

Monoamine oxidase inhibitors (MAOIs): Concurrent administration with monoamine oxidase inhibitors (phenelzine, tranylcypromine, moclobemide, harmine, harmaline) is expected to produce dangerously potentiated and prolonged serotonergic effects. MAO-A is the principal enzyme responsible for the first-pass and systemic deamination of tryptamines; inhibition of MAO-A in the presence of a serotonin receptor agonist with concurrent SERT inhibition (K_i 499 nM) creates the conditions for serotonin syndrome. This combination is contraindicated and has produced fatalities with other 5-methoxytryptamines, particularly 5-MeO-DMT.

Serotonin reuptake inhibitors (SSRIs and SNRIs): Concurrent use with SSRIs (fluoxetine, sertraline, paroxetine, citalopram, escitalopram) or SNRIs (venlafaxine, duloxetine) may augment serotonergic activity through additive effects at serotonin synapses. The risk of serotonin syndrome is increased. Additionally, SSRIs that are strong CYP2D6 inhibitors (paroxetine, fluoxetine) may elevate 5-MeO-DALT plasma concentrations through metabolic inhibition.

Other serotonin receptor agonists: Concurrent administration with other tryptamine or phenethylamine psychedelics (psilocybin, DMT, LSD, mescaline, MDMA) produces additive or synergistic effects at 5-HT_{2A} and other serotonin receptors. The pharmacological consequences are unpredictable and potentially dangerous.

CYP inhibitors and inducers: Given the involvement of CYP1A2, CYP2C19, CYP2D6, and CYP3A4 in metabolism, concurrent administration with strong inhibitors of these enzymes (fluvoxamine for CYP1A2; omeprazole for CYP2C19; paroxetine and quinidine for CYP2D6; ketoconazole and ritonavir for CYP3A4) may

elevate plasma concentrations. Strong CYP inducers (rifampin, carbamazepine, phenytoin, St. John's wort) may reduce plasma concentrations and shorten duration of action.

Kappa-opioid interactions: The kappa-opioid activity of 5-MeO-DALT may interact with other kappa-opioid agonists (salvinorin A, butorphanol) or with kappa-opioid antagonists (norbinaltorphimine, CERC-501/LY2456302) in unpredictable ways. No data exist on these combinations.

Sigma receptor interactions: The sigma-1 and sigma-2 binding may interact with other sigma receptor ligands (dextromethorphan, certain antipsychotics, fluvoxamine). The pharmacological consequences are uncharacterized.

11. Adverse Events and Safety Signal

11.1 Reported adverse events

The adverse event profile of 5-MeO-DALT is derived entirely from case reports and user self-reports. No systematic safety data from controlled studies exist.

Acute adverse events reported in published case literature:

(a) Nausea and vomiting, reported by Shulgin at 29 mg and in the Galland et al. (2022) case report [3, 11].

(b) Loss of consciousness, transient, reported by Galland et al. (2022) [11].

(c) Visual hallucinations, reported across multiple case reports [11, 12].

(d) Acute delirium with agitation, tachycardia, diaphoresis, and combativeness [12, 13].

(e) Rhabdomyolysis, reported by Frison et al. (2016) [13].

(f) Myoclonus (cyclic), reported in a neurology case abstract [14].

(g) Tremors of the lower extremities [11].

(h) Logorrhea (excessive speech) [11].

Adverse events reported in user self-reports (unverified):

(a) Nausea and gastrointestinal discomfort, frequently reported.

(b) Anxiety and panic reactions, particularly at higher doses (greater than 25 mg).

(c) Tachycardia and palpitations.

(d) Headache.

(e) Muscle tension and jaw clenching (bruxism).

(f) Insomnia following use.

11.2 Fatalities

One fatality involving 5-MeO-DALT has been reported in the published literature, described by Corkery et al. (2012) [8]. The death involved a male in his mid-twenties in mid-2010. The precise cause of death, the dose of 5-MeO-DALT consumed, and the contribution of poly-substance use or behavioral factors were not definitively established in the published report. Additional fatalities may have occurred but have not been captured in the peer-reviewed literature; the Corkery et al. report noted the difficulty of detecting novel psychoactive tryptamines in routine forensic toxicology screening.

11.3 Legal and regulatory status

5-MeO-DALT is controlled in the following jurisdictions:

Japan: controlled substance since April 2007.

Sweden: Schedule I narcotic classification since May 1, 2012 (LVFS 2012:6).

United Kingdom: Class A drug under the Misuse of Drugs Act since January 7, 2015 (covered under the generic tryptamine clause).

China: controlled substance since October 2015.

Singapore: Fifth Schedule of the Misuse of Drugs Act since May 2015.

Finland: controlled since December 2014.

United States (federal): not scheduled. However, the compound may be prosecuted under the Federal Analogue Act (21 U.S.C. 813) as a substantial analog of a Schedule I tryptamine (e.g., 5-MeO-DiPT, alpha-methyltryptamine).

United States (state): Schedule I controlled substance in Florida and Louisiana.

Canada: not individually controlled as of 2025.

The WHO Expert Committee on Drug Dependence (ECDD) conducted a critical review of 5-MeO-DALT at its 43rd meeting, examining the compound under criteria for potential international scheduling under the Convention on Psychotropic Substances [10].

11.4 Theoretical safety concerns

5-HT_{2B} agonism and cardiac valvulopathy: The high-affinity 5-HT_{2B} agonism (K_i 59 nM) is a theoretical concern for cardiac valvular pathology with chronic exposure. The association between 5-HT_{2B} agonism and cardiac valvulopathy is well established for fenfluramine, ergotamine, and methysergide. No cardiac safety data exist for 5-MeO-DALT, and the risk remains theoretical in the absence of chronic dosing studies.

Serotonin syndrome risk: The combination of direct 5-HT_{1A} and 5-HT_{2A} agonism with SERT reuptake inhibition creates the pharmacological substrate for serotonin syndrome, particularly in the context of concurrent serotonergic medications or monoamine oxidase inhibition.

Seizure risk: Myoclonus has been reported in one case. The 5-HT_{2A} agonist class has been associated with lowered seizure threshold in some preclinical models, though the overall seizure risk of tryptamine psychedelics at typical doses is low.

12. Comparative Assessment

This section presents a structured comparative assessment of five tryptamines with structural or pharmacological relationships to 5-MeO-DALT, evaluated against five standards: novelty (uniqueness of the pharmacological mechanism or chemical scaffold), effect size (magnitude of psychoactive or pharmacological effects at the target receptors), research utility (value as a research tool for understanding tryptamine pharmacology), safety profile (known or theoretical adverse event burden), and overall characterization (depth and quality of the published pharmacological literature). The five comparators were selected to span the relevant chemical and pharmacological space: two 5-methoxytryptamine analogs (5-MeO-DMT, 5-MeO-DiPT), one classical tryptamine psychedelic (psilocin/4-HO-DMT), and two members of the DALT series (DALT, 4-AcO-DALT).

12.1 5-MeO-DMT (5-methoxy-N,N-dimethyltryptamine)

Mechanism: High-affinity 5-HT_{1A} and 5-HT_{2A} agonist with sigma-1 receptor binding. The 5-methoxy-N,N-dimethyl substitution pattern produces the prototypical 5-methoxytryptamine pharmacological profile.

Novelty: Low relative to 5-MeO-DALT. 5-MeO-DMT is the archetypical compound of the 5-methoxytryptamine class, first isolated from *Anadenanthera peregrina* seeds in 1959 and synthesized independently. The pharmacology is extensively characterized and serves as the reference for the class.

Effect size: High. 5-MeO-DMT is among the most potent naturally occurring psychedelics, with psychoactive doses of 5 to 20 mg via insufflation and 6 to 20 mg via smoking/vaporization. The subjective experience is described as intensely transpersonal and ego-dissolving, qualitatively distinct from the "light psychedelic character" of 5-MeO-DALT. The difference reflects the higher 5-HT_{2A} affinity (K_i approximately 3 to 10 nM for 5-MeO-DMT versus 218 nM for 5-MeO-DALT) and the different receptor selectivity profile.

Research utility: High. 5-MeO-DMT is entering clinical trials for treatment-resistant depression and substance use disorders. Extensive preclinical data including neuroplasticity, sigma-1 receptor mechanisms, and in vivo behavioral pharmacol-

ogy are published. The compound serves as the primary pharmacological reference for the 5-methoxytryptamine class.

Safety profile: Moderate concern. Fatalities have been reported, principally in combination with monoamine oxidase inhibitors or in the context of dangerous behavioral responses to the intense psychoactive effects. Serotonin syndrome and cardiovascular emergencies have been documented. The safety literature is substantially larger than that of 5-MeO-DALT.

Overall characterization: Extensive. 5-MeO-DMT has a large and growing pharmacological literature spanning receptor binding, functional assays, behavioral pharmacology, human clinical studies, neuroimaging, and clinical trials.

Comparison to 5-MeO-DALT: 5-MeO-DMT is more potent, more thoroughly characterized, and more clinically advanced than 5-MeO-DALT. The two compounds share the 5-methoxytryptamine scaffold and high 5-HT_{1A} affinity but differ substantially in 5-HT_{2A} potency, qualitative subjective profile, and the breadth of non-serotonergic pharmacology. 5-MeO-DALT has the broader polypharmacological profile (sigma, alpha-2, kappa-opioid), while 5-MeO-DMT has the sharper serotonergic selectivity and the stronger psychedelic efficacy.

12.2 5-MeO-DiPT (5-methoxy-N,N-diisopropyltryptamine; "Foxy")

Mechanism: 5-HT_{2A} agonist with activity across the serotonin receptor family. Structurally related to 5-MeO-DALT through the shared 5-methoxytryptamine scaffold, differing in the N,N-diisopropyl versus N,N-diallyl substitution.

Novelty: Low. The compound was described by Shulgin in TiHKAL (1997) and has been in the recreational and research pharmacopoeia for decades. The pharmacology is conventional for the substituted tryptamine class.

Effect size: Moderate. Psychoactive doses are 6 to 20 mg orally. The subjective profile is described as strongly tactile and auditory, with prominent effects on sound perception that are distinctive within the tryptamine class. Duration is 3 to 6 hours.

Research utility: Moderate. 5-MeO-DiPT has been the subject of receptor binding studies, forensic analytical method development, and metabolism studies. It is not in clinical development. The compound is a useful structural comparator for un-

derstanding the effect of N,N-dialkyl substitution pattern on tryptamine pharmacology.

Safety profile: Moderate concern. The compound is a Schedule I controlled substance in the United States (scheduled in 2004). Adverse events include nausea, tachycardia, muscle tension, and anxiety at higher doses. Fatalities have been reported in the context of poly-substance use.

Overall characterization: Moderate. The pharmacological literature is modest, with receptor binding, metabolism, and forensic analytical data but no clinical studies.

Comparison to 5-MeO-DALT: 5-MeO-DiPT and 5-MeO-DALT share the 5-methoxytryptamine scaffold and comparable molecular weight. The principal structural difference is the diisopropyl versus diallyl N-substitution, which produces measurably different receptor binding profiles: 5-MeO-DiPT has higher 5-HT_{2A} affinity, while 5-MeO-DALT has the broader polypharmacological profile including sigma and kappa-opioid binding. 5-MeO-DiPT is federally scheduled in the United States; 5-MeO-DALT is not.

12.3 Psilocin (4-hydroxy-N,N-dimethyltryptamine; 4-HO-DMT)

Mechanism: Balanced 5-HT_{2A}, 5-HT_{2C}, and 5-HT_{1A} agonist. Psilocin is the active metabolite of psilocybin (4-phosphoryloxy-DMT) and the prototypical classical tryptamine psychedelic with clinical evidence.

Novelty: Low. Psilocin and its prodrug psilocybin have been known since the 1950s (Hofmann isolation, 1958) and constitute the best-characterized psychedelic tryptamine pharmacology.

Effect size: High. Psilocybin produces robust and reproducible psychedelic effects at oral doses of 10 to 30 mg, with duration of 4 to 6 hours. The effect sizes in clinical trials for treatment-resistant depression, end-of-life anxiety, and substance use disorders are among the largest reported for any psychiatric intervention.

Research utility: Very high. Psilocin/psilocybin is the most extensively studied psychedelic tryptamine, with hundreds of preclinical publications and dozens of clinical trials registered or completed. The compound is the benchmark for clinical psychedelic development.

Safety profile: Favorable relative to other psychedelics. No reported fatalities from psilocybin alone. Adverse events are principally psychological (anxiety, challenging experiences) and transient cardiovascular (modest blood pressure elevation). The compound is generally well tolerated in controlled clinical settings.

Overall characterization: Extensive, with the largest clinical evidence base of any psychedelic compound.

Comparison to 5-MeO-DALT: Psilocin is the reference compound for the psychedelic tryptamine class. Compared to 5-MeO-DALT, psilocin has higher 5-HT_{2A} affinity, a more selective serotonergic binding profile (less sigma, less kappa-opioid, less alpha-2 activity), a longer duration of action, and an incomparably larger clinical evidence base. 5-MeO-DALT has the advantages of a broader polypharmacological profile for mechanistic research purposes and the short duration of action, but these advantages are purely academic given the absence of any therapeutic development for 5-MeO-DALT.

12.4 DALT (N,N-diallyltryptamine)

Mechanism: The unsubstituted parent compound of the DALT series. Binding profile at serotonin receptors is generally lower affinity than 5-MeO-DALT across all subtypes tested.

Novelty: Moderate. The N,N-diallyl substitution pattern is uncommon in the tryptamine literature and distinguishes the DALT series from the more common dimethyl, diethyl, and diisopropyl series. DALT itself is the structural reference compound for the series.

Effect size: Low. Shulgin reported few discernible psychoactive effects at oral doses up to 80 mg [3]. The low psychoactive potency is consistent with the lower 5-HT_{2A} binding affinity and lower HTR potency (ED₅₀ 5.67 mg/kg) relative to 5-MeO-DALT (ED₅₀ 2.25 mg/kg) [7].

Research utility: Moderate. DALT serves as the unsubstituted comparator for structure-activity relationship analysis within the DALT series. Its value is principally as a structural and pharmacological reference point.

Safety profile: Poorly characterized. No published case reports of intoxication. The low potency at serotonergic targets predicts a lower acute risk profile than 5-MeO-DALT, but no data exist.

Overall characterization: Limited. Receptor binding and head-twitch data are available [6, 7]; metabolism data are available [9]; no clinical or case-report data exist.

Comparison to 5-MeO-DALT: DALT is the structural parent of 5-MeO-DALT. The comparison directly demonstrates the pharmacological impact of the 5-methoxy substituent: increased 5-HT1A affinity (approximately 5-fold), increased 5-HT2A affinity, increased head-twitch potency (approximately 2.5-fold), and the transition from a pharmacologically weak compound to a psychoactively potent one.

12.5 4-AcO-DALT (4-acetoxy-N,N-diallyltryptamine)

Mechanism: 5-HT2A agonist. The 4-acetoxy group is a prodrug moiety that is hydrolyzed in vivo to the 4-hydroxy-DALT (the DALT analog of psilocin). 4-AcO-DALT was the most potent compound in the head-twitch response within the DALT series studied by Klein et al. (2018).

Novelty: Moderate. The 4-acetoxy-DALT represents the convergence of the psilocin (4-hydroxy) pharmacophore with the DALT (N,N-diallyl) scaffold.

Effect size: High within the DALT series. HTR ED50 of 0.56 mg/kg, approximately 4-fold more potent than 5-MeO-DALT [7]. The psychoactive potency in humans is not well characterized.

Research utility: Moderate. The compound is a useful research tool for understanding the relative contributions of 4-hydroxy versus 5-methoxy substitution to DALT series pharmacology. Receptor binding data are available from Klein et al. (2018).

Safety profile: Poorly characterized. No published case reports. The 4-hydroxy metabolite (4-HO-DALT) is predicted to have a pharmacological profile similar to psilocin at the 5-HT2A receptor.

Overall characterization: Limited. Receptor binding and head-twitch data are available [7]; no metabolism, pharmacokinetic, or clinical data are published.

Comparison to 5-MeO-DALT: 4-AcO-DALT is more potent at the 5-HT2A receptor and in the head-twitch response than 5-MeO-DALT. The two compounds represent different positions in the DALT structure-activity landscape: 4-AcO-DALT emphasizes 5-HT2A potency (via the psilocin-like 4-hydroxy metabolite), while 5-MeO-

DALT emphasizes polypharmacological breadth (5-HT1A, sigma, alpha-2, kappa-opioid). The broader pharmacological profile of 5-MeO-DALT may account for the qualitatively different subjective character described in user reports.

12.6 Comparator summary

The five comparator compounds span the relevant chemical and pharmacological space for contextualizing 5-MeO-DALT. **5-MeO-DMT** represents the pharmacologically more potent and clinically advanced member of the 5-methoxytryptamine class. **5-MeO-DiPT** is the closest structural analog with established regulatory scheduling and a comparable receptor binding profile. **Psilocin** is the benchmark classical psychedelic tryptamine with the largest clinical evidence base. **DALT** is the unsubstituted parent that demonstrates the pharmacological impact of 5-methoxy substitution. **4-AcO-DALT** represents the most 5-HT2A-potent member of the DALT series.

5-MeO-DALT occupies a position in this landscape characterized by: (a) a uniquely broad polypharmacological binding profile spanning serotonergic, sigma, adrenergic, and kappa-opioid targets; (b) moderate 5-HT2A-mediated hallucinogenic potency; (c) a short duration of action; (d) a subjective profile described as qualitatively distinct from classical psychedelics; and (e) the absence of any therapeutic development, clinical evidence, or systematic safety characterization. The compound's principal research value lies in its polypharmacological profile, which makes it a useful tool for investigating the contributions of non-serotonergic receptor systems to the subjective and behavioral effects of tryptamine psychedelics. Its principal limitations are the absence of clinical data, the absence of safety data, and the limited characterization of its pharmacokinetics.

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