

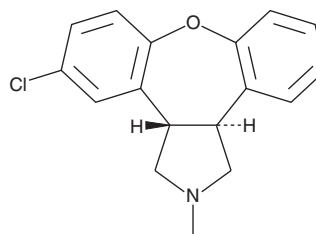
PRODUCT INFORMATION



(±)-Asenapine

Item No. 9000496

CAS Registry No.: 65576-45-6
Formal Name: *rel*-5-chloro-2,3,3aR,12bR-tetrahydro-2-methyl-1H-dibenz[2,3:6,7]oxepino[4,5-c]pyrrole
MF: C₁₇H₁₆ClNO
FW: 285.8
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(±)-Asenapine is supplied as a solid. A stock solution may be made by dissolving the (±)-asenapine in the solvent of choice, which should be purged with an inert gas. (±)-Asenapine is slightly soluble in chloroform and methanol.

Description

(±)-Asenapine is an atypical antipsychotic.^{1,2} It binds to dopamine D₁₋₄, α-adrenergic, and histamine receptors (K_is = 0.42-1.45, 0.32-1.26, and 1-6.17 nM, respectively), as well as the serotonin (5-HT) receptor subtypes 5-HT_{1A}, 5-HT_{1B}, 5-HT_{2A}, 5-HT_{2B}, 5-HT_{2C}, 5-HT_{5A}, 5-HT₆, and 5-HT₇ (K_is = 0.03-3.98 nM).² (±)-Asenapine inhibits the suppression of neuron firing induced by the 5-HT_{2A}, dopamine D₂, and α₂-adrenergic receptor agonists 2,5-dimethoxy-4-iodoamphetamine (DOI), apomorphine, and clonidine (Item No. 15949), respectively, in rat brain (ED₅₀s = 75, 40, and 85 μg/kg, respectively).¹ *In vivo*, (±)-asenapine (0.05-0.2 mg/kg, s.c.) increases extracellular dopamine levels in the medial prefrontal cortex (mPFC), nucleus accumbens (NAc), and lateral striatum and suppresses the conditioned avoidance response in rats.³ It prevents acute and chronic phencyclidine-induced deficits in cued reversal learning in rats when administered at a dose of 0.075 mg/kg.⁴ Formulations containing asenapine have been used in the treatment of schizophrenia and bipolar I disorder.

References

1. Ghanbari, R., El Mansari, M., Shahid, M., *et al.* Electrophysiological characterization of the effects of asenapine at 5-HT_{1A}, 5-HT_{2A}, α₂-adrenergic and D₂ receptors in the rat brain. *Eur. Neuropsychopharmacol.* **19(3)**, 177-187 (2009).
2. Shahid, M., Walker, G.B., Zorn, S.H., *et al.* Asenapine: A novel psychopharmacologic agent with a unique human receptor signature. *J. Psychopharmacol.* **23(1)**, 65-73 (2009).
3. Frånberg, O., Wiker, C., Marcus, M.M., *et al.* Asenapine, a novel psychopharmacologic agent: Preclinical evidence for clinical effects in schizophrenia. *Psychopharmacol. (Berl)*. **196(3)**, 417-429 (2008).
4. McLean, S.L., Neill, J.C., Idris, N.F., *et al.* Effects of asenapine, olanzapine, and risperidone on psychotomimetic-induced reversal-learning deficits in the rat. *Behav. Brain Res.* **214(2)**, 240-247 (2010).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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