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Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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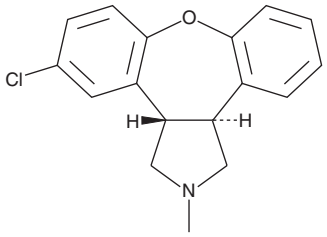
PRODUCT INFORMATION

(±)-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: $C_{17}H_{16}ClNO$
FW: 285.8
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥2 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 D_{1-4}, 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-HT_6, and 5-HT_7 (K_is = 0.03-3.98 nM).[2] (±)-Asenapine inhibits the suppression of neuron firing induced by the 5-HT_{2A}, dopamine D_2, and α_2-adrenergic receptor agonists 2,5-dimethoxy-4-iodoamphetamine (DOI), apomorphine, and clonidine (Item No. 15949), respectively, in rat brain (ED_{50}s = 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}, α_2-adrenergic and D_2 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).

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