Asenapine hydrochloride

Cat. No.: HY-16567

CAS No.: 1412458-61-7

Molecular Formula: $C_{17}H_{17}Cl_2NO$

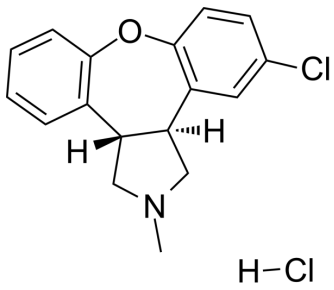
Molecular Weight: 322.23

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (310.34 mM; Need ultrasonic)

DMSO : 50 mg/mL (155.17 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1034 mL	15.5169 mL	31.0337 mL
5 mM	0.6207 mL	3.1034 mL	6.2067 mL
10 mM	0.3103 mL	1.5517 mL	3.1034 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Asenapine hydrochloride, an antipsychotic, is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and Dopamine (D_2, D_3, D_4) receptor antagonist with K_i values of 0.03-4.0 nM for 5-HT and 1.3, 0.42, 1.1 nM for Dopamine receptor, respectively.

IC_{50} & Target

sPLA2 2.5 nM (Ki)	5-HT_{2A} Receptor 0.06 nM (Ki)	5-HT_{2C} Receptor 0.03 nM (Ki)	5-HT_7 Receptor 0.13 nM (Ki)
D_2 Receptor 1.3 nM (Ki)	D_3 Receptor 0.42 nM (Ki)	D_4 Receptor 1.1 nM (Ki)	

In Vitro	Relative to its D2 receptor affinity, Asenapine has a higher affinity for 5-HT_{2C}, 5-HT_{2A}, 5-HT_{2B}, 5-HT_7, 5-HT_6, α_{2B} and D3 receptors, suggesting stronger engagement of these targets at therapeutic doses. Asenapine behaves as a potent antagonist (pK_B) at 5-HT_{1A} (7.4), 5-HT1B (8.1), 5-HT_{2A} (9.0), 5-HT_{2B} (9.3), 5-HT_{2C} (9.0), 5-HT_6 (8.0), 5-HT_7 (8.5), D2 (9.1), D3 (9.1), α_{2A} (7.3), α_{2B} (8.3), α_{2C} (6.8) and H1 (8.4) receptors[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Asenapine is an atypical antipsychotic that is currently available for the treatment of schizophrenia and bipolar I disorder. Asenapine may have superior therapeutic effect on anxiety symptoms than other agents in rats[3]. Asenapine has anxiolytic-like effects in the EPM and the defensive marble burying tests in mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Biol Macromol. 2023 Jul 4;125703.

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REFERENCES

[1]. Stoner SC, Pace HA. Asenapine: a clinical review of a second-generation antipsychotic. Clin Ther. 2012 May;34(5):1023-40.

[2]. Shahid M, et al. Asenapine: a novel psychopharmacologic agent with a unique human receptor signature. J Psychopharmacol. 2009 Jan;23(1):65-73.

[3]. Ohyama M,et al. Asenapine reduces anxiety-related behaviours in rat conditioned fear stress model. Acta Neuropsychiatr. 2016 Dec;28(6):327-336.

[4]. Ene HM, et al. Effects of repeated asenapine in a battery of tests for anxiety-like behaviours in mice. Acta Neuropsychiatr. 2016 Apr;28(2):85-91.

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