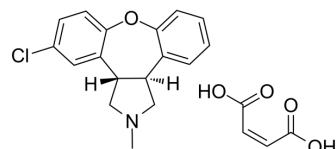


Asenapine maleate

Cat. No.:	HY-11100
CAS No.:	85650-56-2
Molecular Formula:	C ₂₁ H ₂₀ ClNO ₅
Molecular Weight:	401.84
Target:	5-HT 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

DMSO : 25 mg/mL (62.21 mM; Need ultrasonic)
H₂O : 6.25 mg/mL (15.55 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.4886 mL	12.4428 mL	24.8855 mL
	5 mM	0.4977 mL	2.4886 mL	4.9771 mL
	10 mM	0.2489 mL	1.2443 mL	2.4886 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
- Add each solvent one by one: PBS
Solubility: 1.2 mg/mL (2.99 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Asenapine maleate is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and D2 antagonist with K_i values of 0.03-4.0 nM, 1.3nM, respectively, and an antipsychotic.

IC₅₀ & Target

sPLA2 2.5 nM (K _i)	5-HT _{2A} Receptor 0.06 nM (K _i)	5-HT _{2C} Receptor 0.03 nM (K _i)	5-HT ₇ Receptor 0.13 nM (K _i)
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	D ₂ Receptor 1.3 nM (K _i)	D ₃ Receptor 0.42 nM (K _i)	D ₄ Receptor 1.1 nM (K _i)
In Vitro	<p>Relative to its D₂ receptor affinity, asenapine has a higher affinity for 5-HT_{2C}, 5-HT_{2A}, 5-HT_{2B}, 5-HT₇, 5-HT₆, 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-HT_{1B} (8.1), 5-HT_{2A} (9.0), 5-HT_{2B} (9.3), 5-HT_{2C} (9.0), 5-HT₆ (8.0), 5-HT₇ (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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		

PROTOCOL

Animal Administration ^{[3][4]}

Rats: Asenapine maleate is suspended in 10% hydroxypropyl-β-cyclodextrin and administered in a volume of 1 mL/kg body weight. Rats are individually fear conditioned using electrical foot shock in a Skinner box. Animals are injected intraperitoneally (i.p.) with asenapine, clozapine, olanzapine, buspirone, or SB242084 at 30 min before freezing behaviour assessment^[3].

Mice: Male ICR mice are repeatedly treated with 0.1 or 0.3mg/kg injections of asenapine and then tested in a battery of behavioural tests related to anxiety including the open-field test, elevated plus-maze (EPM), defensive marble burying and hyponeophagia tests^[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, et al. 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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