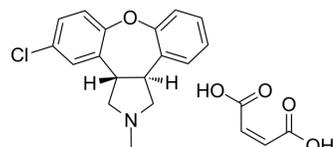


Asenapine maleate

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	Relative to its D ₂ 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 D ₃ 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-HT ₆ (8.0), 5-HT ₇ (8.5), D ₂ (9.1), D ₃ (9.1), α _{2A} (7.3), α _{2B} (8.3), α _{2C} (6.8) and H ₁ (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.		

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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