Asenapine

Cat. No.: HY-10121

CAS No.: 65576-45-6

Molecular Formula: $C_{17}H_{16}ClNO$

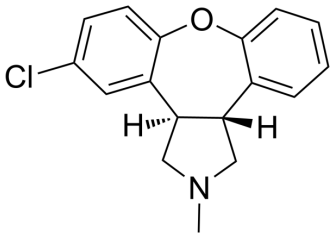
Molecular Weight: 285.77

Target: 5-HT Receptor; Adrenergic Receptor; Dopamine Receptor; Histamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (699.86 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4993 mL	17.4966 mL	34.9932 mL
	5 mM	0.6999 mL	3.4993 mL	6.9986 mL
	10 mM	0.3499 mL	1.7497 mL	3.4993 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 (8.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.75 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Asenapine (Org 5222), an atypical antipsychotic, is an antagonist of serotonin receptors (pK_i: 8.4-10.5), adrenoceptors (pK_i: 8.9-9.5), dopamine receptors (pK_i: 8.9-9.4) and histamine receptors (pK_i: 8.2-9.0). Asenapine can be used in the research of schizophrenia and bipolar disorder[1][2].

IC_{50} & Target

5-HT_{1A} Receptor 8.6 (pKi)	5-HT_{1B} Receptor 8.4 (pKi)	5-HT_{2A} Receptor 10.2 (pKi)	5-HT_{2B} Receptor 9.8 (pKi)
5-HT_{2C} Receptor	5-HT_5 Receptor	5-HT_6 Receptor	5-HT_7 Receptor

	10.5 (pKi)	8.8 (pKi)	9.6 (pKi)	9.9 (pKi)
	Alpha-2A adrenergic receptor 8.9 (pKi)	α1-adrenergic receptor 8.9 (pKi)	Alpha-2B adrenergic receptor 9.5 (pKi)	Alpha-2C adrenergic receptor 8.9 (pKi)
	D_1 Receptor 8.9 (pKi)	D_2 Receptor 8.9 (pKi)	D_3 Receptor 9.4 (pKi)	D_4 Receptor 9.0 (pKi)
	H_1 Receptor 9.0 (pKi)	H_2 Receptor 8.2 (pKi)		

In Vivo

Asenapine (0.05-0.2 mg/kg; s.c.) induces a dose-dependent suppression of conditioned avoidance response (CAR) and does not induce catalepsy[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Wistar rats (200-250 g)[2]
Dosage:	0.05 mg/kg, 0.1 mg/kg, 0.2 mg/kg
Administration:	Subcutaneous injection
Result:	Produced suppression of CAR in a dose-dependent manner.

CUSTOMER VALIDATION

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

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REFERENCES

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

[2]. Olivia Frånberg, et al. Asenapine, a novel psychopharmacologic agent: preclinical evidence for clinical effects in schizophrenia. Psychopharmacology (Berl). 2008 Feb;196(3):417-29.

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