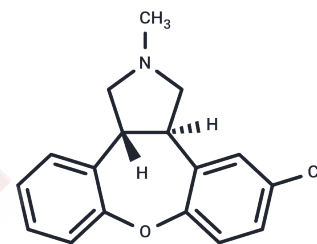


Asenapine

Chemical Properties

CAS No. :	65576-45-6
Formula:	C ₁₇ H ₁₆ ClNO
Molecular Weight:	285.77
Storage:	Pure form: -20°C for 3 years In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Asenapine (Org 5222) is a 5-HT receptor, adrenergic receptor, dopamine receptor, and histamine receptor antagonist with antipsychotic effects that is used to treat schizophrenia and manic or mixed episodes associated with bipolar 1 disorder.721483-46-5
Targets(IC50)	5-HT Receptor,Adrenergic Receptor,Norepinephrine,Histamine Receptor,Dopamine Receptor
In vitro	In comparison with the antipsychotics, asenapine showed high affinity and a different rank order of binding affinities (pKi) for serotonin receptors (5-HT1A [8.6], 5-HT1B [8.4], 5-HT2A [10.2], 5-HT2B [9.8], 5-HT2C [10.5], 5-HT5 [8.8], 5-HT6 [9.6] and 5-HT7 [9.9]), adrenoceptors (alpha1 [8.9], alpha2A [8.9], alpha2B [9.5] and alpha2C [8.9]), dopamine receptors (D1 [8.9], D2 [8.9], D3 [9.4] and D4 [9.0]) and histamine receptors (H1 [9.0] and H2 [8.2])[2].
In vivo	Asenapine (0.05-0.2 mg/kg, s.c.) induced a dose-dependent suppression of CAR (no escape failures recorded) and did not induce catalepsy. Additionally, it increased dopamine efflux in both the medial prefrontal cortex (mPFC) and the nucleus accumbens (NAc)[2].

Solubility Information

Solubility	H ₂ O: Insoluble, DMSO: 80 mg/mL (279.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (11.55 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.070 mL	0.3499 mL	0.6999 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

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

Dell'Osso B, Cremaschi L, Palazzo MC, Spagnolin G, Cattaneo A, Grancini B, Maggi M, Altamura AC. Use of asenapine as add-on therapy in the treatment of bipolar disorder: a comprehensive review and case series. *Expert Opin Drug Saf.* 2014 Sep;13(9):1199-208. doi: 10.1517/14740338.2014.938047. Epub 2014 Aug 1. Review. PubMed PMID: 25084462.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481