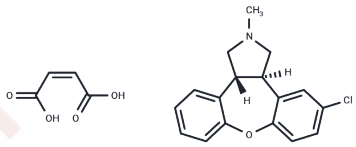
Data Sheet (Cat.No.T1951)

TargetMol

Asenapine Maleate

Chemical Properties



CAS No. : 85650-56-2

Formula: C21H20ClNO5

Molecular Weight: 401.84

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Asenapine Maleate (Org 5222 maleate) is a second generation (atypical) antipsychotic agent that is taken sublingually and used in the treatment of schizophrenia and manic or mixed episodes associated with bipolar 1 disorder. Asenapine is associated with a low rate of transient and mild serum aminotransferase elevations during therapy but has not been linked to instances of clinically apparent acute liver injury.
Targets(IC50)	5-HT Receptor
In vitro	Asenapine (0.01 mg/kg, i.v.) preferentially increased dopamine outflow in the shell compared to the nucleus accumbens (NAc) core, with no difference observed at a higher dosage (0.05 mg/kg, i.v.). Asenapine significantly enhanced the response to NMDA in pyramidal cells within the medial prefrontal cortex. In freely moving rats, Asenapine (0.05-0.2 mg/kg, subcutaneous injection) induced dose-dependent conditioned avoidance response (CAR) inhibition (without recording escape failures) and did not induce cataleptic fainting. Moreover, Asenapine (0.05-0.2 mg/kg, subcutaneous injection) increased dopamine outflow in both the medial prefrontal cortex and the nucleus accumbens in rats.
In vivo	Asenapine demonstrates a higher affinity for 5-HT 2C, 5-HT 2A, 5-HT 2B, 5-HT 7, 5-HT 6, alpha2B, and D3 receptors compared to its affinity for D2 receptors, indicating a closer interaction with these targets at therapeutic doses. Asenapine is an effective antagonist for a range of receptors, including 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), D2 (9.1), D3 (9.1), alpha2A (7.3), alpha2B (8.3), alpha2C (6.8), and H 1 receptors (8.4), as indicated by their respective pKB values.
Kinase Assay	In vitro kinase activity: GST-FAK in vitro kinase activity is measured and compared to His-tagged FAK 411-686 using the K-LISA screening kit and poly(Glu:Tyr) (4:1) copolymer as a substrate immobilized on microtiter plates. IC50 values are determined with various concentrations of test compounds in a buffer containing 50 μM ATP and 10 mM MnCl2, 50 mM HEPES (pH 7.5), 25 mM NaCl, 0.01% BSA, and 0.1 mM Na orthovanadate for 5 min at room temperature. Serial diluted compounds are tested in triplicate. Substrate phosphorylation is measured using horseradish peroxidase-conjugated anti-pTyr antibodies with spetrophotometric color quantitation. IC50 values are determined using the Hill-Slope Model. Kinase selectivity profiling is performed by using the KinaseProfiler service.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 60 mg/mL (149.31 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0498 mL	0.2489 mL	0.4977 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.

Reference

Shahid M, et al. J Psychopharmacol,2009, 23(1), 65-73.
Frånberg O, et al. Psychopharmacology (Berl). 2008 Feb;196(3):417-29.