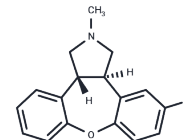
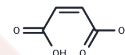


Asenapine Maleate

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 85650-56-2 |
| Formula: | C ₂₁ H ₂₀ ClNO ₅ |
| Molecular Weight: | 401.84 |
| Storage: | Powder: -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 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 MnCl ₂ , 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 spectrophotometric 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) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.98 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 | 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.

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

Shahid M, et al. J Psychopharmacol,2009, 23(1), 65-73.

Frånberg O, et al. Psychopharmacology (Berl). 2008 Feb;196(3):417-29.

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