Asenapine maleate

Cat. No.: HY-11100
CAS No.: 85650-56-2
Molecular Formula: C₂₁H₂₀ClNO₅
Molecular Weight: 401.84
Target: 5-HT Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

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)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.4886 mL</td>
<td>12.4428 mL</td>
<td>24.8855 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4977 mL</td>
<td>2.4886 mL</td>
<td>4.9771 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2489 mL</td>
<td>1.2443 mL</td>
<td>2.4886 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo
1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
2. 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
3. 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

BIOLOGICAL ACTIVITY

Description
Asenapine maleate is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and D2 antagonist with Kᵢ values of 0.03-4.0 nM, 1.3nM, respectively, and an antipsychotic.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>5-HT₁A Receptor</th>
<th>5-HT₂A Receptor</th>
<th>5-HT₂C Receptor</th>
<th>5-HT₇ Receptor</th>
</tr>
</thead>
<tbody>
<tr>
<td>2.5 nM (Ki)</td>
<td>0.06 nM (Ki)</td>
<td>0.03 nM (Ki)</td>
<td>0.13 nM (Ki)</td>
</tr>
</tbody>
</table>
### In Vitro
Relative to its D2 receptor affinity, asenapine has a higher affinity for 5-HT2C, 5-HT2A, 5-HT2B, 5-HT7, 5-HT6, α2B and D3 receptors, suggesting stronger engagement of these targets at therapeutic doses. Asenapine behaves as a potent antagonist (pK<sub>B</sub>) at 5-HT1A (7.4), 5-HT1B (8.1), 5-HT2A (9.0), 5-HT2B (9.3), 5-HT2C (9.0), 5-HT6 (8.0), 5-HT7 (8.5), D2 (9.1), D3 (9.1), α2A (7.3), α2B (8.3), α2C (6.8) and H1 (8.4) receptors<sup>[2]</sup>.

### 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<sup>[3]</sup>. Asenapine has anxiolytic-like effects in the EPM and the defensive marble burying tests in mice<sup>[4]</sup>.

### PROTOCOL

**Animal Administration**<sup>[3][4]</sup>

**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<sup>[3]</sup>.

**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<sup>[4]</sup>.

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

### REFERENCES


