Asenapine hydrochloride

Cat. No.: HY-16567
CAS No.: 1412458-61-7
Molecular Formula: C₁₇H₁₇Cl₂NO
Molecular Weight: 322.23
Target: 5-HT Receptor; Dopamine 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: 50 mg/mL (155.17 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>3.1034 mL</td>
<td>15.5169 mL</td>
<td>31.0337 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6207 mL</td>
<td>3.1034 mL</td>
<td>6.2067 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3103 mL</td>
<td>1.5517 mL</td>
<td>3.1034 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 >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Asenapine maleate, an antipsychotic, is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and Dopamine (D₂, D₃, D₄) receptor antagonist with Kᵢ values of 0.03-4.0 nM for 5-HT and 1.3, 0.42, 1.1 nM for Dopamine receptor, respectively.

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 (pKᵢ) 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\textsuperscript{[2]}.  

**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\textsuperscript{[3]}. Asenapine has anxiolytic-like effects in the EPM and the defensive marble burying tests in mice\textsuperscript{[4]}.

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**REFERENCES**


