Loxapine

Cat. No.: HY-17390
CAS No.: 1977-10-2
Molecular Formula: C₁₈H₁₈ClN₃O
Molecular Weight: 327.81
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: ≥ 33.33 mg/mL (101.67 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>3.0505 mL</td>
<td>15.2527 mL</td>
<td>30.5055 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6101 mL</td>
<td>3.0505 mL</td>
<td>6.1011 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3051 mL</td>
<td>1.5253 mL</td>
<td>3.0505 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 (7.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Loxapine Succinate is a D2DR and D4DR inhibitor, serotonergic receptor antagonist and also a dibenzoxazepine antipsychotic agent. IC50 value: Target: D2DR/D4DR, 5-HT receptor in vitro: In the presence of Loxapine, [3H]ketanserin binds to 5-HT2 receptor in Frontal cortex of brain in human and bovine with Ki value of 6.2 nM and 6.6 nM, respectively. Loxapine has the rank order of potency for the various receptors appears to be as follows: 5-HT2 ≥ D4 >> D1 > D2 in comparing competition experiments involving the human membranes [1]. Loxapine 0.2 μM, 2 μM and 20 μM reduces IL-1β secretion by LPS-activated mixed glia cultures after 1 and 3 days of exposure. Loxapine in concentrations of 0.2 μM, 2 μM and 20 μM reduces...
IL-2 secretion in mixed glia cultures after 1 and 3 days of exposure, and additionally Loxapine decreases IL-1beta and IL-2 secretion in LPS-induced microglia cultures in concentrations of 2 μM, 10 μM and 20 μM [2]. In vivo: Loxapine (5 mg/kg) induces a very significant reduction (more than 50%) of serotonin (S2) receptor density after 4 weeks or 10 weeks of daily injection in the rat. Loxapine (5 mg/kg) does not change dopamine receptor density but greatly reduces serotonin receptor density by 47% in the brain of rats [3].

REFERENCES


