Lixivaptan

Cat. No.: HY-14185
CAS No.: 168079-32-1
Molecular Formula: C₂₇H₂₁ClFN₃O₂
Molecular Weight: 473.93
Target: Vasopressin Receptor
Pathway: GPCR/G Protein
Storage: 4°C, protect from light
* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)

**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>2.1100 mL</td>
<td>10.5501 mL</td>
<td>21.1002 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.4220 mL</td>
<td>2.1100 mL</td>
<td>4.2200 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.2110 mL</td>
<td>1.0550 mL</td>
<td>2.1100 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: ≥ 2.08 mg/mL (4.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution

**BIOLOGICAL ACTIVITY**

Description
Lixivaptan (VPA-985, WAY-VPA 985) is an orally active and selective vasopressin receptor V2 antagonist, with IC₅₀ values of 1.2 and 2.3 nM for human and rat V2, respectively.

IC₅₀ & Target
IC₅₀: 1.2 nM (human V2), 2.3 nM (rat V2)[¹]

In Vitro
Lixivaptan displays competitive antagonist activity at V2 receptors[¹].

In Vivo
In conscious dogs, water-loaded with 30 mL/kg (po) and arginine vasopressin (AVP)-treated (0.4 µg/kg in oil, sc), lixivaptan (1, 3, and 10 mg/kg po) increases Uᵥₒᵱ over the AVP-treated vehicle group by 438, 1018, and 1133%, respectively, while Uₒᵮₘᵦ decreases from 1222 mOsm/kg (water-loaded and AVP treated vehicle) to 307, 221, and 175

[¹] Reference(s) provided.
mOsm/kg, respectively. In homozygous Brattleboro rats lacking AVP, lixivaptan at 10 mg/kg po (i.e., 10 times the
dose producing V2 antagonist activity) b.i.d. for 5 days, shows a sustained antagonist action without evidence of
agonist effects. In a randomized double-blind placebo-controlled ascending single dose study, patients (deprived of
fluids overnight before dosing) are dosed orally with 30, 75, or 150 mg of lixivaptan. All three doses increase urine
flow and serum sodium concentrations and produced significant dose-related decreases in urinary osmolality.[1]
Phase II clinical trials in patients with congestive heart failure, liver cirrhosis with ascites or syndrome of inappropriate
antidiuretic hormone have demonstrated that lixivaptan increases water clearance without affecting renal sodium
excretion or activating the neurohormonal system.[2]

REFERENCES


[2]. Ghali JK, et al. Lixivaptan, a non-peptide vasopressin V2 receptor antagonist for the potential oral treatment of hyponatremia. IDrugs. 2010

Caution: Product has not been fully validated for medical applications. For research use only.
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