LP-211

Cat. No.: HY-111455
CAS No.: 1052147-86-0
Molecular Formula: C₃₀H₃₄N₄O
Molecular Weight: 466.62
Target: 5-HT Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage: Pure form -20°C 3 years
         4°C 2 years
In solvent -80°C 6 months
         -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (214.31 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.1431 mL</td>
<td>10.7154 mL</td>
<td>21.4307 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.4286 mL</td>
<td>2.1431 mL</td>
<td>4.2861 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2143 mL</td>
<td>1.0715 mL</td>
<td>2.1431 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 (5.36 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description: LP-211 is a selective and blood–brain barrier penetrant 5-HT₇ receptor agonist, with a \( K_i \) of 0.58 nM, with high selectivity over 5-HT₁A receptor (\( K_i \), 188 nM) and D₂ receptor (\( K_i \), 142 nM).

IC₅₀ & Target

<table>
<thead>
<tr>
<th></th>
<th>5-HT₇ Receptor</th>
<th>5-HT₁A Receptor</th>
<th>D₂ Receptor</th>
</tr>
</thead>
<tbody>
<tr>
<td>IC₅₀ (nM) (Kᵢ)</td>
<td>0.58</td>
<td>188</td>
<td>142</td>
</tr>
</tbody>
</table>
**In Vitro**

LP-211 is a selective 5-HT\(_7\) receptor agonist, with a K\(_i\) of 0.58 nM, 324- and 245-fold selectivity over 5-HT\(_{1A}\) receptor (K\(_i\), 188 nM) and D\(_2\) receptor (K\(_i\), 142 nM). LP-211 shows agonist properties with an EC\(_{50}\) of 0.6 \(\mu\)M\(^1\).

**In Vivo**

LP-211 (10 mg/kg, i.p.) rapidly reaches the systemic circulation in the mouse, with mean C\(_{\text{max}}\) of 0.76 ± 0.32 \(\mu\)g/mL at 30 min\(^1\). LP-211 (0.003-0.3 mg/kg, i.p.) significantly increases the micturition volume in a dose-dependent manner, and causes significant increases in voiding efficiency in spinal cord-injured (SCI) rats, and such effects can be completely reversed by SB-269970\(^2\). LP-211 (0.25 and 0.50 mg/kg i.p.) improves consolidation of chamber-shape memory in rats, resulting in significant novelty-induced hyperactivity and recognition\(^3\).

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**PROTOCOL**

**Kinase Assay**\(^1\)

Binding of \(^3\)H-LSD at rat cloned 5-HT\(_7\) receptor is performed in the assay. In 1 mL of incubation buffer (50 mM Tris, 10 mM MgCl\(_2\) and 0.5 mM EDTA, pH 7.4) are suspended 30 \(\mu\)g of membranes, 2.5 nM \(^3\)H-LSD, LP-211 (6–9 concentrations). The samples are incubated for 60 min at 37°C. The incubation is stopped by rapid filtration on GF/A glass fiber filters (presoaked in 0.5% polyethylenimine for 30 min). The filters are washed with 3 × 53 mL of ice-cold buffer (50 mM Tris, pH 7.4). Nonspecific binding is determined in the presence of 10 \(\mu\)M 5-CT. Approximately 90% of specific binding is determined under these conditions\(^1\).

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

**Animal Administration**\(^3\)

**Rats**\(^3\)

Thirty male adult Wistar rats (300-450 g) are assessed for novelty preference behavior after acute treatment (administered immediately after the training session and 24 h before the test session). After a 4 weeks’ wash out, the rPDT is conducted to evaluate attraction from a greater/uncertain reward, with a sub-chronic treatment (five injections, immediately after sessions which follow the indifferent point). Food restriction, imposed by the experimenter through a limited quantity of food given at the end of each rPDT session, is applied to increase motivation to work for food delivery. All behavioral tests take place between 9:30 am and 4:00 pm. Rats are randomly assigned to treatment (LP-211 at 0.25 or 0.50 mg/kg i.p.) and control groups (injection volume 10 mL/kg; \(n = 10\) per group). The brain penetrant 5-HT\(_7\)R agonist LP-211 is dissolved in a vehicle solution of 1% dimethyl sulfoxide (DMSO) in saline (0.9% NaCl). Control group receives the vehicle strictly in the same conditions\(^3\).

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**REFERENCES**


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