LP-211

Cat. No.: HY-111455

CAS No.: 1052147-86-0

Molecular Formula: $C_{30}H_{34}N_4O$

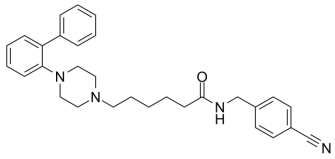
Molecular Weight: 466.62

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)
Ethanol : 50 mg/mL (107.15 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1431 mL	10.7154 mL	21.4307 mL
5 mM	0.4286 mL	2.1431 mL	4.2861 mL
10 mM	0.2143 mL	1.0715 mL	2.1431 mL

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-HT_7 receptor agonist, with a K_i of 0.58 nM, with high selectivity over 5-HT_{1A} receptor (K_i, 188 nM) and D_2 receptor (K_i, 142 nM).

IC_{50} & Target

5-HT_7 Receptor	5-HT_{1A} Receptor	D_2 Receptor
0.58 nM (Ki)	188 nM (Ki)	142 nM (Ki)

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 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LP-211 (10 mg/kg, i.p.) rapidly reaches the systemic circulation in the mouse, with mean C_{max} of 0.76 ± 0.32 μg/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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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 μg 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 μM 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_7R 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Onco Targets Ther. 2020 Mar 9;13:2139-2151.

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REFERENCES

[1]. Leopoldo M, et al. Structural modifications of N-(1,2,3,4-tetrahydronaphthalen-1-yl)-4-aryl-1-piperazinehexanamides: influence on lipophilicity and 5-HT7 receptor activity. Part III. J Med Chem. 2008 Sep 25;51(18):5813-22.

[2]. Norouzi-Javidan A, et al. Effect of 5-HT7 receptor agonist, LP-211, on micturition following spinal cord injury in male rats. Am J Transl Res. 2016 Jun 15;8(6):2525-33. eCollection 2016.

[3]. Beaudet G, et al. LP-211, a selective 5-HT7 receptor agonist, increases novelty-preference and promotes risk-prone behavior in rats. Synapse. 2017 Dec;71(12).

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

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