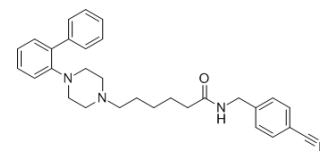


LP-211

Cat. No.:	HY-111455		
CAS No.:	1052147-86-0		
Molecular Formula:	C ₃₀ H ₃₄ N ₄ O		
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 Concentration	Mass		
		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

- 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
- 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
- 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-HT_{1A} receptor (K_i, 188 nM) and D₂ receptor (K_i, 142 nM).

IC₅₀ & Target

5-HT ₇ Receptor 0.58 nM (K _i)	5-HT _{1A} Receptor 188 nM (K _i)	D ₂ Receptor 142 nM (K _i)
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In Vitro	<p>LP-211 is a selective 5-HT₇ 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 D₂ receptor (K_i, 142 nM). LP-211 shows agonist properties with an EC₅₀ of 0.6 μM^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Kinase Assay ^[1]	<p>Binding of [³H]-LSD at rat cloned 5-HT₇ receptor is performed in the assay. In 1 mL of incubation buffer (50 mM Tris, 10 mM MgCl₂ and 0.5 mM EDTA, pH 7.4) are suspended 30 μg of membranes, 2.5 nM [³H]-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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[3]	<p>Rats^[3]</p> <p>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-HT₇R 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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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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