Opiranserin hydrochloride

Cat. No.: HY-109067A

CAS No.: 1440796-75-7

Molecular Formula: $C_{21}H_{35}ClN_2O_5$

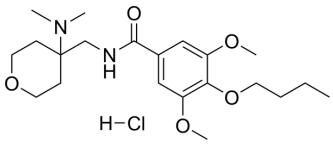
Molecular Weight: 430.97

Target: GlyT; 5-HT Receptor; P2X Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; GPCR/G Protein

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 : 50 mg/mL (116.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3203 mL	11.6017 mL	23.2035 mL
	5 mM	0.4641 mL	2.3203 mL	4.6407 mL
	10 mM	0.2320 mL	1.1602 mL	2.3203 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 (5.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Opiranserin (VVZ-149) hydrochloride, a non-opioid and non-NSAID analgesic candidate, is a dual antagonist of glycine transporter type 2 (GlyT2) and serotonin receptor 2A (5HT2A), with IC_{50}s of 0.86 and 1.3 μM, respectively. Opiranserin hydrochloride shows antagonistic activity on rP2X3 (IC_{50}=0.87 μM). Opiranserin hydrochloride is development as an injectable agent for the treatment of postoperative pain[1][2][3].

IC_{50} & Target				
	GlyT2	P2X3 Receptor	rP2X3	5-HT_{2A} Receptor
	0.86 μM (IC_{50})		0.87 μM (IC_{50})	1.3 μM (IC_{50})

REFERENCES

[1]. Oh J, et al. Safety, Tolerability, and Pharmacokinetic Characteristics of a Novel Nonopioid Analgesic, VVZ-149 Injections in Healthy Volunteers: A First-in-Class, First-in-Human Study. J Clin Pharmacol. 2018 Jan;58(1):64-73.

[2]. Nedeljkovic SS, et al. Randomised, double-blind, parallel group, placebo-controlled study to evaluate the analgesic efficacy and safety of VVZ-149 injections for postoperative pain following laparoscopic colorectal surgery. BMJ Open. 2017 Feb 17;7(2):e011035.

[3]. Pang MH, et al. A series of case studies: practical methodology for identifying antinociceptive multi-target drugs. Drug Discov Today. 2012 May;17(9-10):425-34.

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