Ziprasidone hydrochloride monohydrate

Cat. No.: HY-17407

CAS No.: 138982-67-9

Molecular Formula: $C_{21}H_{24}Cl_2N_4O_2S$

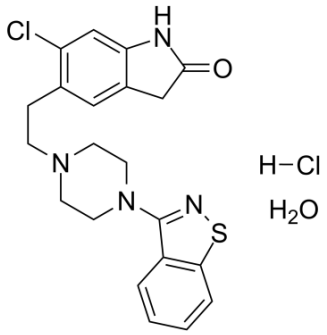
Molecular Weight: 467.41

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (53.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1394 mL	10.6972 mL	21.3945 mL
	5 mM	0.4279 mL	2.1394 mL	4.2789 mL
	10 mM	0.2139 mL	1.0697 mL	2.1394 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 (5.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.35 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Ziprasidone (CP 88059) hydrochloride monohydrate, an antipsychotic agent, is an orally active combined 5-HT (serotonin) and dopamine receptor antagonist. Ziprasidone hydrochloride monohydrate has high affinity for rat (K_i: 3.4 nM)/human (2.5 nM) 5-HT1A receptors, 5-HT2A (0.42 nM), and dopamine D2 receptors (4.8 nM). Ziprasidone hydrochloride monohydrate is an inhibitor of norepinephrine reuptake[1][2].

IC_{50} & Target

Rat 5-HT_{1A} Receptor	human 5-HT_{1A} Receptor	Rat 5-HT_{2A}	Rat D_2 Receptor
3.4 nM	2.5 nM	0.42 nM	4.8 nM

In Vitro

Ziprasidone is a 5-HT1A receptor agonist and an antagonist at 5-HT2A, 5-HT2C and 5-HT1B/1D receptors. Ziprasidone has high affinity for the 5-HT1A, 5-HT1D and 5-HT2C receptor subtypes[1][2].

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

In Vivo

Ziprasidone (20 mg/kg; p.o.; daily for 7 weeks) gains significantly less weight, has a lower level of physical activity, showed a higher resting energy expenditure, and displays a greater capacity for thermogenesis when subjected to cold[4].

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

Animal Model:	Eight-week-old female Sprague-Dawley rats weighing 200 to 250 g[4]
Dosage:	20 mg/kg
Administration:	P.o.; daily for 7 weeks
Result:	Gained significantly less weight, had a lower level of physical activity, showed a higher resting energy expenditure, and displayed a greater capacity for thermogenesis when subjected to cold.

REFERENCES

[1]. Rollema H, et al. 5-HT(1A) receptor activation contributes to ziprasidone-induced dopamine release in the rat prefrontal cortex. Biol Psychiatry. 2000;48(3):229-237.

[2]. Schmidt AW, et al. Ziprasidone: a novel antipsychotic agent with a unique human receptor binding profile. Eur J Pharmacol. 2001;425(3):197-201.

[3]. Seeger TF, et al. Ziprasidone (CP-88,059): a new antipsychotic with combined dopamine and serotonin receptor antagonist activity. J Pharmacol Exp Ther. 1995;275(1):101-113.

[4]. Park S, et al. The effect of ziprasidone on body weight and energy expenditure in female rats. Metabolism. 2012;61(6):787-793.

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