Ziprasidone hydrochloride monohydrate

Cat. No.: HY-17407

CAS No.: 138982-67-9

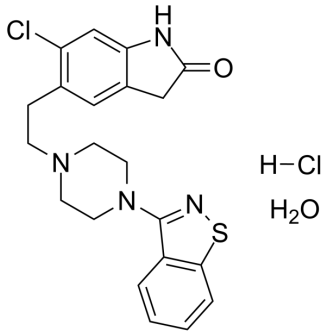
Molecular Formula: $C_{21}H_{24}Cl_2N_4O_2S$

Molecular Weight: 467.41

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Mass / Solvent / 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 is an orally active combined 5-HT and dopamine receptor antagonist[1]. Ziprasidone hydrochloride monohydrate has affinities for Rat D_2 (K_i=4.8 nM), 5-HT_{2A} (K_i=0.42 nM) and 5-HT_{1A} (K_i=3.4 nM)[1].

IC_{50} & Target

Rat 5-HT_{2A}	Rat 5-HT_{1A} Receptor	Rat D_2 Receptor
0.42 nM (Ki)	3.4 nM (Ki)	4.8 nM (Ki)

In Vitro

Ziprasidone hydrochloride monohydrate (0-500 nM, 150 seconds) blocks wild-type hERG current[2].

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

Cell Viability Assay[2]

Cell Line:	HEK-293 cells
Concentration:	0-500 nM
Incubation Time:	150 seconds
Result:	Blocked wild-type hERG current in a voltage- and concentration-dependent manner (IC_{50} = 120 nm).

In Vivo

Ziprasidone hydrochloride monohydrate (oral gavage; 20 mg/kg; once daily; 7 weeks) results in weight loss, low level physical activity, high resting energy expenditure and greater capacity for thermogenesis when subjected to cold[3].

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[3]
Dosage:	20 mg/kg
Administration:	Oral gavage; 20 mg/kg; once daily; 7 weeks
Result:	Gained significantly less weight (P = 0.031), had a lower level of physical activity (P = 0.016), showed a higher resting energy expenditure (P < 0.001), and displayed a greater capacity for thermogenesis when subjected to cold (P < 0.001).

CUSTOMER VALIDATION

- Research Square Preprint. 2021 Jul.

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REFERENCES

[1]. Zhi Su, et al. Block of hERG channel by ziprasidone: biophysical properties and molecular determinants. Biochem Pharmacol. 2006 Jan 12;71(3):278-86.

[2]. Subin Park, et al. The effect of ziprasidone on body weight and energy expenditure in female rats. Metabolism. 2012 Jun;61(6):787-93.

[3]. 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.

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

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