Ziprasidone

Cat. No.: HY-14542

CAS No.: 146939-27-7

Molecular Formula: $C_{21}H_{21}ClN_4OS$

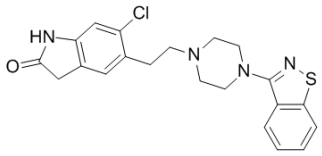
Molecular Weight: 412.94

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 13.5 mg/mL (32.69 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4217 mL	12.1083 mL	24.2166 mL
	5 mM	0.4843 mL	2.4217 mL	4.8433 mL
	10 mM	0.2422 mL	1.2108 mL	2.4217 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: ≥ 1.35 mg/mL (3.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.35 mg/mL (3.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.35 mg/mL (3.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ziprasidone, an antipsychotic agent, is a combined 5-HT (serotonin) and dopamine receptor antagonist. Ziprasidone 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 is an inhibitor of norepinephrine reuptake[1][2][3].

IC_{50} & Target				
	Rat 5-HT_{1A} Receptor 3.4 nM (Ki)	human 5-HT_{1A} Receptor 2.5 nM (Ki)	Rat D_2 Receptor 4.8 nM (Ki)	Rat 5-HT_{2A} 0.42 nM (Ki)

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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