Ziprasidone

Cat. No.: HY-14542

CAS No.: 146939-27-7

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

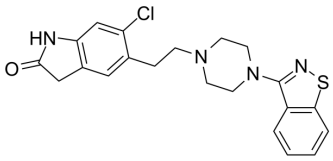
Molecular Weight: 412.94

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	2 years
	-20°C	1 year



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 (CP-88059), an orally active antipsychotic agent, is a combined 5-HT and dopamine receptor antagonist[1]. Ziprasidone mesylate trihydrate 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_{1A} Receptor	human 5-HT_{1A} Receptor	Rat D_2 Receptor	Rat 5-HT_{2A}
3.4 nM (Ki)	2.5 nM (Ki)	4.8 nM (Ki)	0.42 nM (Ki)

In Vitro

Ziprasidone (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 (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.

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