Ziprasidone mesylate

Cat. No.: HY-14542C

CAS No.: 185021-64-1

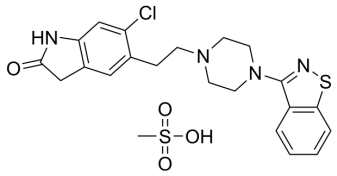
Molecular Formula: $C_{22}H_{25}ClN_4O_4S_2$

Molecular Weight: 509.04

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Ziprasidone (CP-88059) mesylate is an orally active combined 5-HT and dopamine receptor antagonist[1]. Ziprasidone mesylate 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_2 Receptor	Rat 5-HT_{1A} Receptor	Rat D_2 Receptor
0.42 nM (Ki)	3.4 nM (Ki)	4.8 nM (Ki)

In Vitro

Ziprasidone mesylate (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 mesylate (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].

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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]. H Rollema, et al. 5-HT(1A) receptor activation contributes to ziprasidone-induced dopamine release in the rat prefrontal cortex. Biol Psychiatry. 2000 Aug 1;48(3):229-37.

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

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

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