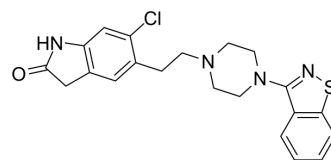


Ziprasidone

| | | | |
|--------------------|---|-------|---------|
| Cat. No.: | HY-14542 | | |
| CAS No.: | 146939-27-7 | | |
| Molecular Formula: | C ₂₁ H ₂₁ ClN ₄ OS | | |
| 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) | | | | | |
| | | Solvent Concentration | Mass | 1 mg | 5 mg | 10 mg |
| | Preparing Stock Solutions | 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 3.4 nM (K _i) | human 5-HT _{1A} Receptor 2.5 nM (K _i) | Rat D ₂ Receptor 4.8 nM (K _i) | Rat 5-HT _{2A} 0.42 nM (K _i) |
| 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].

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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]. 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.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA