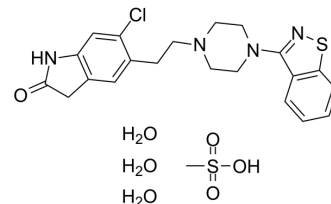


## Ziprasidone mesylate trihydrate

<b>Cat. No.:</b>	HY-14542B
<b>CAS No.:</b>	199191-69-0
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>31</sub> ClN <sub>4</sub> O <sub>7</sub> S <sub>2</sub>
<b>Molecular Weight:</b>	563.09
<b>Target:</b>	5-HT Receptor; Dopamine Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Ziprasidone (CP-88059) mesylate trihydrate is an orally active combined 5-HT and dopamine receptor antagonist <sup>[1]</sup> . Ziprasidone mesylate trihydrate has affinities for Rat D <sub>2</sub> (K <sub>i</sub> =4.8 nM), 5-HT <sub>2A</sub> (K <sub>i</sub> =0.42 nM) and 5-HT <sub>1A</sub> (K <sub>i</sub> =3.4 nM) <sup>[1]</sup> .										
<b>IC<sub>50</sub> &amp; Target</b>	Rat 5-HT <sub>2</sub> Receptor 0.42 nM (K <sub>i</sub> )	Rat 5-HT <sub>1A</sub> Receptor 3.4 nM (K <sub>i</sub> )	Rat D <sub>2</sub> Receptor 4.8 nM (K <sub>i</sub> )								
<b>In Vitro</b>	<p>Ziprasidone mesylate trihydrate (0-500 nM, 150 seconds) blocks wild-type hERG current<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HEK-293 cells<sup>[2]</sup></td> </tr> <tr> <td>Concentration:</td> <td>0-500 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>150 seconds</td> </tr> <tr> <td>Result:</td> <td>Blocked wild-type hERG current in a voltage- and concentration-dependent manner (IC<sub>50</sub> = 120 nm).</td> </tr> </table>			Cell Line:	HEK-293 cells <sup>[2]</sup>	Concentration:	0-500 nM	Incubation Time:	150 seconds	Result:	Blocked wild-type hERG current in a voltage- and concentration-dependent manner (IC <sub>50</sub> = 120 nm).
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<b>In Vivo</b>	<p>Ziprasidone mesylate trihydrate (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<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Eight-week-old female Sprague-Dawley rats weighing 200 to 250 g<sup>[3]</sup></td> </tr> <tr> <td>Dosage:</td> <td>20 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral gavage; 20 mg/kg; once daily; 7 weeks</td> </tr> <tr> <td>Result:</td> <td>Gained significantly less weight (P = 0.031), had a lower level of physical activity (P = 0.016), showed a higher resting energy expenditure (P &lt; 0.001), and displayed a greater capacity for thermogenesis when subjected to cold (P &lt; 0.001).</td> </tr> </table>			Animal Model:	Eight-week-old female Sprague-Dawley rats weighing 200 to 250 g <sup>[3]</sup>	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).
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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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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