

## Eplivanserin

## Chemical Properties

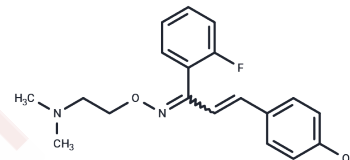
CAS No. : 130579-75-8

Formula: C<sub>19</sub>H<sub>21</sub>FN<sub>2</sub>O<sub>2</sub>

Molecular Weight: 328.38

Storage: Keep away from moisture, Store at low temperature  
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Eplivanserin (SR-46349) is an effective and selective antagonist of 5-HT <sub>2A</sub> receptor with a K <sub>d</sub> of 1.14 nM and an IC <sub>50</sub> value of 5.8 nM in rat cortical membrane.
Targets(IC <sub>50</sub> )	5-HT Receptor
In vitro	Eplivanserin has inhibitory effects on rat cortex adrenergic α <sub>1</sub> and α <sub>2</sub> , rat whole brain histamine H <sub>1</sub> , Na <sup>+</sup> channel, and rat striatum dopamine D <sub>1</sub> and D <sub>2</sub> , with IC <sub>50</sub> s of 3.4 μM, 1.0 μM, 5.0 μM, 39 μM, 9 μM and 28 μM, respectively. Eplivanserin displays >20-fold selectivity more selective for 5-HT <sub>2A</sub> than 5-HT <sub>2B</sub> and 5-HT <sub>2C</sub> with IC <sub>50</sub> s of 0.12 μM (Pig cortex 5-HT <sub>1C</sub> ), 14 μM (Rat hippocampus 5-HT <sub>1A</sub> ), and 16 μM (Rat striatum 5-HT <sub>1B</sub> , Ox caudate nucleus 5-HT <sub>1D</sub> )[1].
In vivo	In mice, Eplivanserin(0.097 mg/kg; i.p.) inhibits 5-HT <sub>2</sub> receptor binding of [ <sup>3</sup> H]ketanserin with an ED <sub>50</sub> of 0.087 mg/kg[1]. In rats, SR 46349B (0.25-1 mg/kg; i.p.) blocks Cocaine-evoked hyperactivity following repeated Cocaine treatment[2].

## Solubility Information

Solubility	DMSO: 50 mg/mL (152.26 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	3.0453 mL	15.2263 mL	30.4525 mL
5 mM	0.6091 mL	3.0453 mL	6.0905 mL
10 mM	0.3045 mL	1.5226 mL	3.0453 mL
50 mM	0.0609 mL	0.3045 mL	0.6091 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Malgorzata Filip, et al. Contribution of serotonin (5-hydroxytryptamine; 5-HT) 5-HT<sub>2</sub> receptor subtypes to the hyperlocomotor effects of cocaine: acute and chronic pharmacological analyses. *J Pharmacol Exp Ther.* 2004 Sep; 310(3):1246-54.

Rinaldi-Carmona M, et al. Biochemical and pharmacological properties of SR 46349B, a new potent and selective 5-hydroxytryptamine<sub>2</sub> receptor antagonist. *J Pharmacol Exp Ther.* 1992 Aug;262(2):759-68.

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