Data Sheet (Cat.No.T1735)



Lurasidone hydrochloride

Chemical Properties

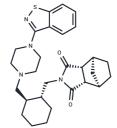
CAS No.: 367514-88-3

Formula: C28H36N4O2S·HCl

Molecular Weight: 529.14

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description

	HT2 receptor antagonist, serotonin 5-HT7 receptor antagonist, an antagonist of the adrenergic α 2A and α 2C receptors, as well as a partial serotonin 5-HT1A receptor agonist. It is used in the treatment of schizophrenia and bipolar disorder.		
Targets(IC50)	5-HT Receptor,Norepinephrine,Dopamine Receptor		
In vitro	Lurasidone antagonizes dopamine-stimulated [35S]GTPyS binding at human dopamine D2L receptor in a concentration-dependent manner with a KB value of 2.8 nM. Lurasidone antagonizes 5-HT-stimulated cAMP accumulation in the CHO/h5-HT7 cells with a KB value of 2.6 nM. Lurasidone partially stimulates [35S]GTPyS binding to the membrane preparation for human 5-HT1A receptors with a maximum effect of 33%. Lurasidone dose-dependently increases the ratio of DOPAC/dopamine in rat frontal cortex and striatum. [1]		
In vivo	The inhibitory actions of Lurasidone on MAP-induced hyperactivity persists for more than 8 hours, and the ED50 values of the action at 1 hour, 2 hours, 4 hours, and 8 hours after the treatment are 2.3 mg/kg, 0.87 mg/kg, 1.6 mg/kg, and 5.0 mg/kg, respectively. Lurasidone (1 mg/kg-10 mg/kg) dose-dependently inhibits conditioned avoidance response in rats with ED50 of 6.3 mg/kg. Lurasidone dose-dependently inhibits TRY-induced forepaw clonic seizure and p-CAMP-induced hyperthermia in rats with ED50 of 5.6 mg/kg and 3.0 mg/kg, respectively. Lurasidone (0.3 mg/kg-30 mg/kg) dose-dependently and significantly increases the number of shocks received by rats in the Vogel's conflict test with MED of 10 mg/kg. Lurasidone (3 mg/kg, 2 weeks) significantly suppresses hyperactivity behavior in olfactory bulbectomy model rats. Lurasidone (700 mg/kg-1000 mg/kg) slightly prolongs the duration of loss of righting reflexes elicited by hexobarbital (anesthesia) in mice in a dose-dependent manner. [1] Lurasidone (30 mg/kg, p.o.) significantly and dose-dependently reverses the MK-801-induced impairment of the passive-avoidance response of rats. [2] Lurasidone (3 mg/kg p.o.) potently reverses MK-801-induced learning impairment in the Morris water maze test in rats. Lurasidone (3 mg/kg p.o.) potently reverses MK-801-induced reference memory impairment and moderately but not significantly attenuates MK-801-induced working memory impairment in the radial-arm maze test. [3] Lurasidone (10 mg/kg) treatment increases total BDNF mRNA levels in rat prefrontal cortex and, to less extent, in hippocampus. Lurasidone (10 mg/kg) significantly increases the levels of mature BDNF protein in rat prefrontal cortex, without affect the protein levels of the neurotrophin		

Lurasidone hydrochloride (Lurasidone HCl) is a thiazole derivative and atypical

antipsychotic agent that functions as a dopamine D2 receptor antagonist; serotonin 5-

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(both precursor and mature forms) in hippocampal extracts. [4]

Solubility Information

Solubility

DMSO: 5.29 mg/mL (10 mM), Sonication is recommended.

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8899 mL	9.4493 mL	18.8986 mL
5 mM	0.378 mL	1.8899 mL	3.7797 mL
10 mM	0.189 mL	0.9449 mL	1.8899 mL
50 mM	0.0378 mL	0.189 mL	0.378 mL

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.

Reference

Ishibashi T, et al. J Pharmacol Exp Ther, 2010, 334(1), 171-181.

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