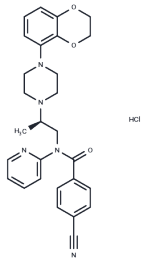
Data Sheet (Cat.No.T27806)

TargetMol

Lecozotan HCl

Chemical Properties



CAS No. : 433282-68-9

Formula: C28H30ClN5O3

Molecular Weight: 520.02

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	Lecozotan HCl (SRA-333) is a potent and selective 5-HT antagonist that significantly enhances KCl-stimulated glutamate and acetylcholine release from the hippocampal dentate gyrus and has cognitive enhancing properties. Chronic administration of Lecozotan HCl did not induce 5-HT(1A) receptor tolerance or desensitization in a behavioral model demonstrating 5-HT(1A) receptor function.
Targets(IC50)	5-HT Receptor
In vivo	Lecozotan HCl (0.3 mg/kg; s.c.) antagonized the decrease in hippocampal extracellular 5-HT induced by 8-hydroxy-2-dipropylaminotetralin (8-OH-DPAT) and had no effects alone at doses 10-fold higher. Lecozotan HCl significantly potentiated the potassium chloride-stimulated release of glutamate and acetylcholine in the dentate gyrus of the hippocampus. Chronic administration of Lecozotan HCl did not induce 5-HT(1A) receptor tolerance or desensitization in a behavioral model indicative of 5-HT(1A) receptor function.[1] In drug discrimination studies, Lecozotan HCl (0.01-1 mg/kg i.m.) did not substitute for 8-OH-DPAT and produced a dose-related blockade of the 5-HT(1A) agonist discriminative stimulus cue. In aged rhesus monkeys, Lecozotan HCl produced a significant improvement in task performance efficiency at an optimal dose (1 mg/kg p. o.). Learning deficits induced by the glutamatergic antagonist MK-801 [(-)-5-methyl-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5,10-imine maleate] (assessed by perceptually complex and visual spatial discrimination) and by specific cholinergic lesions of the hippocampus (assessed by visual spatial discrimination) were reversed by Lecozotan HCl (2 mg/kg i.m.) in marmosets.[1]

Solubility Information

Solubility	DMSO: 50 mg/mL (96.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.923 mL	9.615 mL	19.230 mL
5 mM	0.3846 mL	1.923 mL	3.846 mL
10 mM	0.1923 mL	0.9615 mL	1.923 mL
50 mM	0.0385 mL	0.1923 mL	0.3846 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.

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

Schechter LE, et al. Lecozotan (SRA-333): a selective serotonin 1A receptor antagonist that enhances the stimulated release of glutamate and acetylcholine in the hippocampus and possesses cognitive-enhancing properties. J Pharmacol Exp Ther. 2005;314(3):1274-1289.
Skirzewski M, et al. Acute lecozotan administration increases learning and memory in rats without affecting anxiety or behavioral depression. Pharmacol Biochem Behav. 2010;95(3):325-330.
Patat A, et al. Safety, tolerability, pharmacokinetics and pharmacodynamics of ascending single and multiple doses of lecozotan in healthy young and elderly subjects. Br J Clin Pharmacol. 2009;67(3):299-308.
Parks V, et al. Concomitant blockade of 5-HT(1A) receptor and 5-HT transporter: use of the Hunter Serotonin toxicity criteria in a clinical pharmacology study. Eur Neuropsychopharmacol. 2012;22(2):92-99.
Raje S, et al. A positron emission tomography study to assess binding of lecozotan, a novel 5-hydroxytryptamine-1A silent antagonist, to brain 5-HT1A receptors in healthy young and elderly subjects, and in patients with Alzheimer's disease. Clin Pharmacol Ther. 2008;83(1):86-96.

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