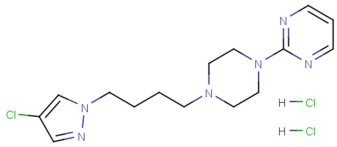
Data Sheet (Cat.No.T11839)

TargetMol
A DRUG SCREENING EXPERT

Lesopitron dihydrochloride

Chemical Properties



CAS No.:	132449-89-9
Formula:	C15H23Cl3N6
Molecular Weight:	393.74
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Lesopitron dihydrochloride with IC50 of 125 nM in rat hippocampal membranes, is a full and selective 5-HT1A receptor agonist.
Targets(IC_{50})	5-HT1A Receptor: 125 nM
In vitro	As expected of a full agonist at postsynaptic 5-HT1A receptors, Lesopitron (IC50=125 nM) inhibits forskolin-stimulated adenylate cyclase activity in rat hippocampal membranes to the same extent as 5-HT. Lesopitron inhibits the firing of serotoninergic neurons both in vitro (in brainstem slices, IC50=120 nM)[1].In vitro binding and autoradiographic studies with [3H]8-OH-DPAT and [3H]Lesopitron as radioligands confirm that Lesopitron binds to 5-HT1A receptors in the rat brain with a relatively high affinity (pKi=7.35).
In vivo	Lesopitron administered at a dose which induces anxiolytic behaviour in rats (30 μg/kg, i.p.) markedly reduces 5-HT levels (to 45% of the basal value) in cortical perfusates[2].Lesopitron inhibits the firing of serotoninergic neurons both in vivo (in chloral hydrate-anaesthetized rats, ID50=35 μg/kg i.v.)[1].

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.54 mL	12.699 mL	25.397 mL
5 mM	0.508 mL	2.54 mL	5.079 mL
10 mM	0.254 mL	1.27 mL	2.54 mL
50 mM	0.051 mL	0.254 mL	0.508 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Haj-Dahmane S, et al. Interactions of Lesopitron (E-4424) with central 5-HT1A receptors: in vitro and in vivo studies in the rat. Eur J Pharmacol. 1994 Apr 1;255(1-3):185-96.

2. Ballarín M, et al. Effect of acute administration of the 5-HT1A receptor ligand, Lesopitron, on rat cortical 5-HT and dopamine turnover. Br J Pharmacol. 1994 Oct;113(2):425-30.

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