Product Data Sheet

Product Name: Lesopitron dihydrochloride (E4424)
Cat. No.: GC33721

Chemical Properties

Cas. No.	132449-89-9		
SMILES	ClC1=CN(CCCCN2CCN(C3=NC=CC=N3)CC2)N=C1.[H]Cl.[H]Cl		
Formula	$C_{15}H_{23}Cl_3N_6$	M.Wt	393.74
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

Animal experiment:	Rats[2] Male Wistar rats weighing 270-300 g, are used. Lesopitron is administered either through the dialysis probe (dissolved in artificial CSF) or i.p. (dissolved in 0.9% saline, 2 mL/kg body weight).

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References:

[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.

Background

Lesopitron dihydrochloride is a full and selective 5-HT1A receptor agonist with IC50 of 125 nM in rat hippocampal membranes.

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). 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].

Lesopitron inhibits the firing of serotoninergic neurons both in vivo (in chloral hydrate-anaesthetized rats, ID50=35 μg/kg i.v.)[1]. 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].

[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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