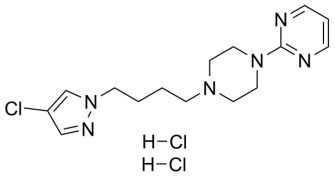
Lesopitron dihydrochloride

Cat. No.:	HY-101609
CAS No.:	132449-89-9
Molecular Formula:	$C_{15}H_{23}Cl_3N_6$
Molecular Weight:	393.74
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description	Lesopitron dihydrochloride is a full and selective 5-HT_{1A} receptor agonist with IC_{50} of 125 nM in rat hippocampal membranes.
IC_{50} & Target	5-HT_{1A} Receptor 125 nM (IC_{50}, in rat hippocampal membranes)
In Vitro	In vitro binding and autoradiographic studies with [^{3}H]8-OH-DPAT and [^{3}H]Lesopitron as radioligands confirm that Lesopitron binds to 5-HT_{1A} receptors in the rat brain with a relatively high affinity (pK_i=7.35). As expected of a full agonist at postsynaptic 5-HT_{1A} receptors, Lesopitron (IC_{50}=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, IC_{50}=120 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Lesopitron inhibits the firing of serotoninergic neurons both in vivo (in chloral hydrate-anaesthetized rats, ID_{50}=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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	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). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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