

## Lesopitron

## Chemical Properties

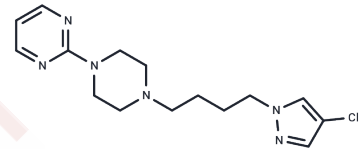
CAS No. : 132449-46-8

Formula: C<sub>15</sub>H<sub>21</sub>ClN<sub>6</sub>

Molecular Weight: 320.82

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

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Lesopitron is an anxiolytic with pre- and postsynaptic 5-HT <sub>1A</sub> agonist activity and is more effective than 5-HT <sub>1A</sub> agonists in rat social interaction and marmoset anxiety models. Lesopitron counteracts benzodiazepine withdrawal-induced anxiety in rodents with low acute toxicity and does not potentiate the effects of alcohol or barbiturates.
Targets(IC <sub>50</sub> )	5-HT Receptor
In vivo	Lesopitron (30 micrograms kg <sup>-1</sup> , i.p.) administered at a dose that induces anxiolytic behavior in rats markedly reduced 5-HT levels (to 45% of the basal value) in cortical perfusates, having no effect on 5-HIAA, DOPAC and HVA.[1] Intracerebral administration of lesopitron (10 microM) caused a decrease in cortical 5-HT levels (the effect being of the same order as that obtained after systemic injection), with no effect on 5-HIAA, DOPAC and HVA.[1]

## Solubility Information

Solubility	DMSO: 3.21 mg/mL (10.01 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.117 mL	15.5851 mL	31.1701 mL
5 mM	0.6234 mL	3.117 mL	6.234 mL
10 mM	0.3117 mL	1.5585 mL	3.117 mL
50 mM	0.0623 mL	0.3117 mL	0.6234 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

- Ballarín M, et al. Effect of acute administration of the 5-HT<sub>1A</sub> receptor ligand, lesopitron, on rat cortical 5-HT and dopamine turnover. *Br J Pharmacol.* 1994 ; 113(2):425-430.
- Fisas MA, et al. Effects of lesopitron on the central nervous system arising from its interaction with 5-HT<sub>1A</sub> receptors. *Pharmacology.* 2004 ; 72(2):57-67.
- Fresquet A, et al. Efficacy and safety of lesopitron in outpatients with generalized anxiety disorder. *Ann Pharmacother.* 2000 ; 34(2):147-15
- Phillips MA, et al. The effects of the novel anxiolytic drug lesopitron, a full and selective 5-HT<sub>1A</sub> receptor agonist, on pupil diameter and oral temperature in man: comparison with buspirone. *J Psychopharmacol.* 1999 ; 13(4): 391-397.
- Sramek JJ, et al. Establishing the maximum tolerated dose of lesopitron in patients with generalized anxiety disorder: a bridging study. *J Clin Psychopharmacol.* 1996 ; 16(6):454-8.
- Haj-Dahmane S, et al. Interactions of lesopitron (E-4424) with central 5-HT<sub>1A</sub> receptors: in vitro and in vivo studies in the rat. *Eur J Pharmacol.* 1994 ; 255(1-3):185-196.

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