Loxapine

Cat. No.: HY-17390

CAS No.: 1977-10-2

Molecular Formula: $C_{18}H_{18}ClN_3O$

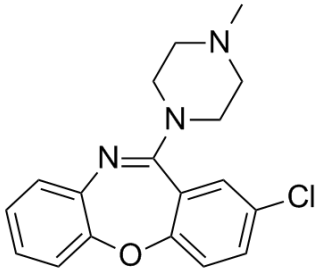
Molecular Weight: 327.81

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33.33 mg/mL (101.67 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	3.0505 mL	15.2527 mL	30.5055 mL
5 mM	0.6101 mL	3.0505 mL	6.1011 mL
10 mM	0.3051 mL	1.5253 mL	3.0505 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Loxapine Succinate is a D2DR and D4DR inhibitor, serotonergic receptor antagonist and also a dibenzoxazepine anti-psychotic agent.IC50 value: Target: D2DR/D4DR; 5-HT receptorin vitro: In the presence of Loxapine, [3H]ketanserin binds to 5-HT2 receptor in Frontal cortex of brain in human and bovine with ki value of 6.2 nM and 6.6 nM, respectively. Loxapine has the rank order of potency for the various receptors appears to be as follows:5-HT2≥D4>>>D1>D2 in comparing competition experiments involving the human membranes [1]. Loxapine 0.2 μM, 2 μM and 20 μM reduces IL-1beta secretion by LPS-activated mixed glia cultures after 1 and 3 days of exposure. Loxapine in concentrations of 0.2 μM, 2 μM and 20 μM reduces

IL-2 secretion in mixed glia cultures after 1 and 3 days of exposure, and additionally Loxapine decreases IL-1beta and IL-2 secretion in LPS-induced microglia cultures in concentrations of 2 μM, 10 μM and 20 μM [2].in vivo: Loxapine (5 mg/kg) induces a very significant reduction (more than 50%) of serotonin (S2) receptor density after 4 weeks or 10 weeks of daily injection in the rat. Loxapine (5 mg/kg) does not change dopamine receptor density but greatly reduces serotonin receptor density by 47% in the brain of rats [3].

REFERENCES

[1]. Singh AN, et al. A neurochemical basis for the antipsychotic activity of loxapine: interactions with dopamine D1, D2, D4 and serotonin 5-HT2 receptor subtypes. J Psychiatry Neurosci. 1996 Jan;21(1):29-35.

[2]. Labuzek K, et al. Chlorpromazine and loxapine reduce interleukin-1beta and interleukin-2 release by rat mixed glial and microglial cell cultures. Eur Neuropsychopharmacol. 2005 Jan;15(1):23-30.

[3]. Lee T, et al. Loxapine and clozapine decrease serotonin (S2) but do not elevate dopamine (D2) receptor numbers in the rat brain. Psychiatry Res. 1984 Aug;12(4):277-85.

[4]. Kalkman HO, et al. Clozapine inhibits catalepsy induced by olanzapine and loxapine, but prolongs catalepsy induced by SCH 23390 in rats. Naunyn Schmiedebergs Arch Pharmacol. 1997 Mar;355(3):361-4.

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