Loxapine

Cat. No.: HY-17390

CAS No.: 1977-10-2

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

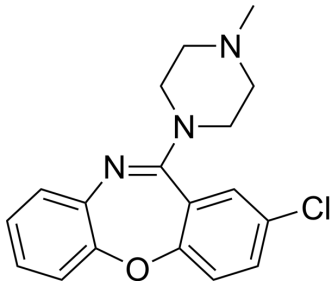
Molecular Weight: 327.81

Target: 5-HT Receptor; Dopamine Receptor; Bacterial

Pathway: GPCR/G Protein; Neuronal Signaling; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33.33 mg/mL (101.67 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / 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 is an orally active dopamine inhibitor, 5-HT receptor antagonist and also a dibenzoxazepine anti-psychotic agent[1][4].

IC_{50} & Target	human 5-HT_2	Human D_4 Receptor	Human D_1 Receptor	Human D_2 Receptor

In Vitro

In the presence of Loxapine, [^{3}H]ketanserin binds to 5-HT_2 receptor in Frontal cortex of brain in human and bovine with K_i

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-HT_2≥D_4>>>D_1>D_2 in comparing competition experiments involving the human membranes[1].
Loxapine (0-20 μM, 24 h or 72 h) reduces IL-1β secretion by LPS-activated mixed glia cultures, reduces IL-2 secretion in mixed glia cultures, and decreases IL-1β and IL-2 secretion in LPS-induced microglia cultures[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Loxapine (5 mg/kg; i.p.; daily for 4 or 10 weeks) decreases serotonin (S_2) but does not elevate dopamine (D2) receptor numbers in the rat brain[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Wistar rats (150-175 g)[3]
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection, daily for 4 or 10 weeks
Result:	Induced a very significant reduction (more than 50%) of serotonin (S_2) receptor density after 4 weeks or 10 weeks of daily injection, but did not produce any significant increase in dopamine receptor density.

REFERENCES

[1]. Keating GM. Loxapine inhalation powder: a review of its use in the acute treatment of agitation in patients with bipolar disorder or schizophrenia. CNS Drugs. 2013 Jun;27(6):479-89.

[2]. Yang CY, et al. Loxapine, an antipsychotic drug, suppresses intracellular multiple-antibiotic-resistant Salmonella enterica serovar Typhimurium in macrophages. J Microbiol Immunol Infect. 2019 Aug;52(4):638-647.

[3]. 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.

[4]. 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.

[5]. 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.

[6]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA