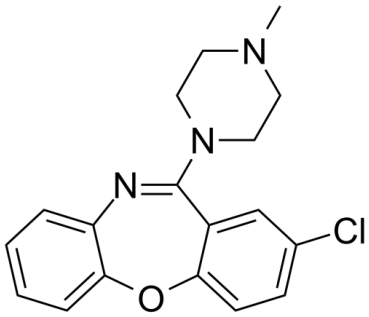
Data Sheet

Product Name:	Loxapine
Cat. No.:	CS-1105
CAS No.:	1977-10-2
Molecular Formula:	C18H18ClN3O
Molecular Weight:	327.81
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : ≥ 33.33 mg/mL (101.67 mM)



BIOLOGICAL ACTIVITY:

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 receptor in 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.

CAIndexNames:

Dibenz[b,f][1,4]oxazepine, 2-chloro-11-(4-methyl-1-piperazinyl)-

SMILES:

CN1CCN(C2=NC3=CC=CC=C3OC4=CC=C(Cl)C=C24)CC1

Caution: Product has not been fully validated for medical applications. For research use only.

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