Loxapine hydrochloride

Cat. No.: HY-17390B

CAS No.: 54810-23-0

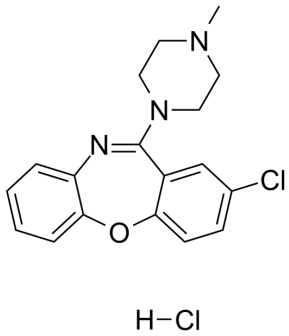
Molecular Formula: $C_{18}H_{19}Cl_2N_3O$

Molecular Weight: 364.27

Target: Dopamine Receptor; 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Loxapine hydrochloride 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-$HT_2 \geq D_4 >>> D_1 > D_2$ 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].

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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]. 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]. 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.

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