

Product Data Sheet

Product Name: Loxapine

Cat. No.: GC13081

Chemical Properties

Cas. No. 1977-10-2

Chemical Name 8-chloro-6-(4-methylpiperazin-1-yl)benzo[b][1,4]benzoxazepine

SMILES CN1CCN(CC1)C2=NC3=CC=CC=C3OC4=C2C=C(C=C4)Cl

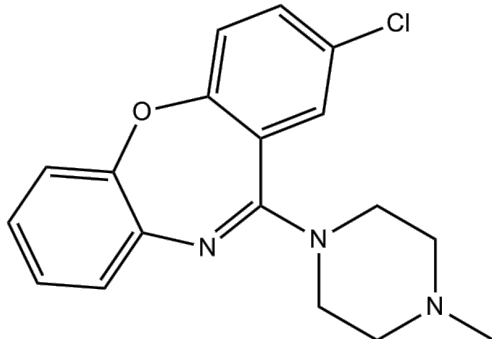
Formula C₁₈H₁₈ClN₃O M.Wt 327.81

Solubility DMSO : 66mg/mL Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Rat glial and microglial cells

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

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Preparation method This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 0.2, 2 and 20 µM; 1 and 3 days

Applications In LPS-activated rat mixed glial and microglial cell cultures, Loxapine at all indicated concentrations reduced IL-1β secretion. Besides, Loxapine also reduced IL-2 secretion in mixed glia cultures. In addition, in LPS-induced microglia cultures, Loxapine decreased both IL-1β and IL-2 secretion at the concentrations of 0.2, 2 and 20 µM after the exposure of 1 and 3 days.

Animal experiment [2]:

Animal models Wistar rats

Dosage form 5 mg/kg; i.p.

Applications In Wistar rats, chronic administration of Loxapine for 4 weeks or 10 weeks did not increase striatal dopamine receptor density. However, Loxapine significantly reduced cortical serotonin receptor density by 50 ~ 60%. In addition, a single dose of Loxapine exhibited the same potent effect. The results suggested that Loxapine exerted its effect via the serotonin system.

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

- [1]. Labuzek K1, Kowalski J, Gabryel B, Herman ZS. 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.
- [2]. Lee T, Tang SW. Loxapine and clozapine decrease serotonin (5-HT₂) but do not elevate dopamine (D₂) receptor numbers in the rat brain. Psychiatry Res. 1984 Aug;12(4):277-85.

Background

Loxapine Succinate is a D₂DR and D₄DR inhibitor, serotonergic receptor antagonist and also a dibenzoxazepine anti-psychotic agent [1].

In vitro: Loxapine was a typical neuroleptic that showed great structural and functional homology to the atypical antipsychotic clozapine. Chronic loxapine treatment was usually associated with extrapyramidal symptoms (EPS). Loxapine exhibited an extremely strong binding affinity for dopamine D₄ and serotonin 5-HT₂ receptors, suggesting that both serotonergic and dopaminergic mechanisms contributed to the antipsychotic drug action and EPS associated with loxapine in the treatment of schizophrenia [1]. In frontal cortex of brain in human and bovine, in the presence of Loxapine, [³H]ketanserin bound to 5-HT₂ receptor with K_i value of 6.2 nM and 6.6 nM, respectively. In comparing competition experiments involving the human membranes, loxapine exhibited the rank order of potency for the various receptors as follows: 5-HT₂ ≥ D₄ >>> D₁ > D₂ [1]. Loxapine administration at 0.2, 2 and 20 μM after 1 and 3 days of exposure reduced IL-1β and IL-2 secretion by LPS-activated mixed glia cultures. Loxapine also decreased IL-1β and IL-2 secretion in LPS-induced microglia cultures [2].

In vivo: Chronic administration of loxapine (5 mg/kg) in rats for 4 weeks or 10 weeks significantly reduced more than 50% of serotonin (5-HT₂) receptor density. Loxapine (5 mg/kg) didn't change dopamine receptor density but greatly reduced serotonin receptor density by 47% in the brain of rats [3].

References:

- [1]. Singh AN1, Barlas C, Singh S, Franks P, Mishra RK. A neurochemical basis for the antipsychotic

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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 K1, Kowalski J, Gabryel B, Herman ZS. Chlorpromazine and loxapine reduce interleukin-1 β and interleukin-2 release by rat mixed glial and microglial cell cultures. Eur Neuropsychopharmacol. 2005 Jan;15(1):23-30.

[3]. Lee T, Tang SW. Loxapine and clozapine decrease serotonin (5-HT₂) but do not elevate dopamine (D₂) receptor numbers in the rat brain. Psychiatry Res. 1984 Aug;12(4):277-85.

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