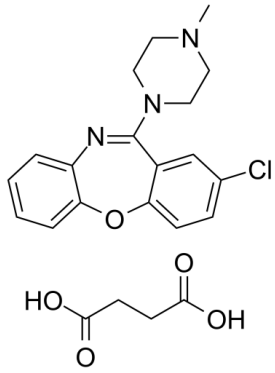
Data Sheet

Product Name:	Loxapine (succinate)
Cat. No.:	CS-4285
CAS No.:	27833-64-3
Molecular Formula:	$C_{22}H_{24}ClN_3O_5$
Molecular Weight:	445.90
Target:	5-HT Receptor; Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 100 mg/mL (224.27 mM; Need ultrasonic); H2O : 2.5 mg/mL (5.61 mM; ultrasonic and warming and heat to 60°C)



BIOLOGICAL ACTIVITY:

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

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: Loxapine has high hydrophilism[2].

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.

CAIndexNames:

Butanedioic acid, compd. with 2-chloro-11-(4-methyl-1-piperazinyl)dibenz[b,f][1,4]oxazepine (1:1)

SMILES:

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

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