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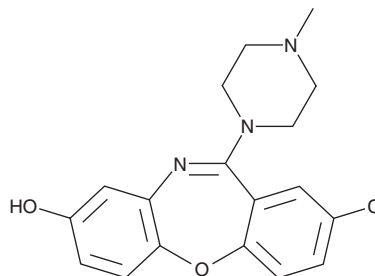
PRODUCT INFORMATION



8-hydroxy Loxapine

Item No. 21786

CAS Registry No.: 61443-77-4
Formal Name: 2-chloro-11-(4-methyl-1-piperazinyl)-dibenz[b,f][1,4]oxazepin-8-ol
Synonym: 8-OH Loxapine
MF: C₁₈H₁₈ClN₃O₂
FW: 343.8
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥ 2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Description

8-hydroxy Loxapine (8-OH loxapine) is a metabolite formed when loxapine (Item No. 20760), an atypical antipsychotic, is metabolized by the cytochrome P450 isoform CYP1A2.^{1,2} Loxapine displays high affinity for histamine, serotonin (5-HT), dopamine, and α_1 -adrenergic receptors (K_i values = 7, 7.7, 9.5, 12, and 31 nM for H₁, 5-HT_{2A}, 5-HT_{2C}, D₂, and α_{1A} -adrenergic receptors, respectively).^{1,3} It reduces agitation associated with schizophrenia or bipolar disorder.⁴ 8-OH Loxapine is considered inactive as it has relatively low affinity to dopamine and 5-HT receptors compared to the parent compound, however, 8-OH loxapine inhibits [¹⁴C]5-HT uptake *in vitro* (IC₅₀ = 2 μ M in human platelets).⁵

References

1. Seeman, P., Corbett, R., and Van Tol, H.H. Atypical neuroleptics have low affinity for dopamine D₂ receptors or are selective for D₄ receptors. *Neuropsychopharmacology* **16**(2), 93-110 (1997).
2. Wong, Y.C., Wo, S.K., and Zuo, Z. Investigation of the disposition of loxapine, amoxapine and their hydroxylated metabolites in different brain regions, CSF and plasma of rat by LC-MS/MS. *J. Pharm. Biomed. Anal.* **58**, 83-83 (2012).
3. Kroeze, W.K., Hufeisen, S.J., Popadak, B.A., *et al.* H₁-histamine receptor affinity predicts short-term weight gain for typical and atypical antipsychotic drugs. *Neuropsychopharmacology* **28**(3), 519-526 (2003).
4. Zeller, S.L. and Citrome, L. Managing agitation associated with schizophrenia and bipolar disorder in the emergency setting. *West J. Emerg. Med.* **17**(2), 165-172 (2016).
5. Fulton, A., Norman, T., and Burrows, G.D. Ligand binding and platelet uptake studies of loxapine, amoxapine and their 8-hydroxylated derivatives. *J. Affect. Disord.* **4**(2), 113-119 (1982).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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