

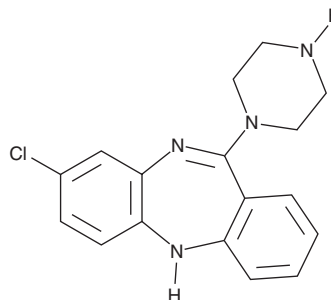
PRODUCT INFORMATION



N-Desmethylclozapine

Item No. 26153

CAS Registry No.: 6104-71-8
Formal Name: 8-chloro-11-(1-piperazinyl)-5H-dibenzo[b,e][1,4]diazepine
Synonym: Norclozapine
MF: C₁₇H₁₇ClN₄
FW: 312.8
Purity: ≥98%
UV/Vis.: λ_{max}: 215, 226, 262, 296 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

N-Desmethylclozapine is supplied as a crystalline solid. A stock solution may be made by dissolving the N-desmethylclozapine in the solvent of choice, which should be purged with an inert gas. N-Desmethylclozapine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of N-desmethylclozapine in ethanol is approximately 5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

N-Desmethylclozapine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, N-desmethylclozapine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. N-Desmethylclozapine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

N-Desmethylclozapine is an active metabolite of the atypical antipsychotic clozapine (Item Nos. 12059 | 25779).¹ It was originally described as an antagonist of serotonin (5-HT) receptor subtype 5-HT_{2C} (IC₅₀ = 7.1 nM in rat choroid plexus) and later as an inverse agonist using human recombinant receptors.^{2,3} N-Desmethylclozapine is an antagonist at dopamine D₄ receptors, an agonist at δ-opioid receptors, and a partial agonist at dopamine D₂ and D₃, M₁ muscarinic acetylcholine, and 5-HT_{1A} receptors.^{1,3,4} N-Desmethylclozapine (30 mg/kg) decreases exploratory locomotor activity and increases prepulse inhibition of the acoustic startle response in mice.⁵

References

1. Burstein, E.S., Ma, J., Wong, S., et al. Intrinsic efficacy of antipsychotics at human D₂, D₃, and D₄ dopamine receptors: Identification of the clozapine metabolite N-desmethylclozapine as a D₂/D₃ partial agonist. *J. Pharmacol. Exp. Ther.* **315**(3), 1278-1287 (2005).
2. Kuoppamäki, M., Syvälahti, E., and Hietala, J. Clozapine and N-desmethylclozapine are potent 5-HT_{1C} receptor antagonists. *Eur. J. Pharmacol.* **245**(2), 179-182 (1993).
3. Lameh, J., Burstein, E.S., Taylor, E., et al. Pharmacology of N-desmethylclozapine. *Pharmacol. Ther.* **115**(2), 223-231 (2007).
4. Odagaki, Y., Kinoshita, M., and Ota, T. Comparative analysis of pharmacological properties of xanomeline and N-desmethylclozapine in rat brain membranes. *J. Psychopharmacol.* **30**(9), 896-912 (2016).
5. Maehara, S., Hikichi, H., and Ohta, H. Behavioral effects of N-desmethylclozapine on locomotor activity and sensorimotor gating function in mice-Possible involvement of muscarinic receptors. *Brain Res.* **1418**, 111-119 (2011).

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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