

Product Data Sheet

Product Name: Clozapine-d4

Cat. No.: GC47110

Chemical Properties

Cas. No. 204395-52-8

SMILES C1C(C=C1)=CC2=C1NC(C=CC=C3)=C3C(N4C([2H])([2H])CN(C)CC4([2H])([2H])=N2

Formula C₁₈H₁₅D₄CIN₄

M.Wt 330.9

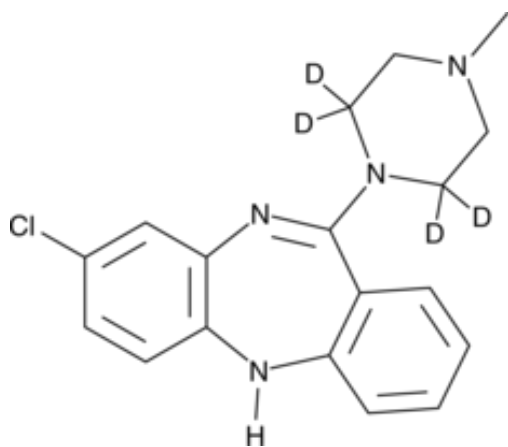
Solubility DMF: 10 mg/ml, DMSO: 10 mg/ml, DMSO: PBS (pH 7.2) (1:1): 0.5 mg/ml, Ethanol: 5 mg/ml

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



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

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Background

Clozapine-d₄ is intended for use as an internal standard for the quantification of clozapine by GC- or LC-MS. Clozapine is a partial agonist at the serotonin (5-HT) receptor subtype 5-HT_{1A} (K_i = 180 nM).^{1,2} It also binds to 5-HT_{2A}, 5-HT_{2C}, 5-HT₃, 5-HT₆ and 5-HT₇ receptors (K_i s = 3.3, 13, 110, 4, and 21 nM, respectively), as well as the histamine H₁ and α_1 -adrenergic receptors (K_i s = 2.1 and 23 nM, respectively). It does not bind to the 5-HT_{1B} receptor and has a lower affinity for dopamine receptors (K_i s = 540, 150, and 360 nM for D₁₋₃, respectively). Clozapine induces the release of glutamate and D-serine, an agonist at the glycine site of the NMDA receptor, from astrocytes, and reduces the expression of astrocytic glutamate transporters.³ It reverses locomotor hyperactivity and deficits in prepulse inhibition of acoustic startle in a rat neonatal ventral hippocampal ibotenic lesion model of schizophrenia when administered at a dose of 2.5 mg/kg per day.⁴ Formulations containing clozapine have been used in the treatment of schizophrenia.

1. Millan, M.J. Improving the treatment of Schizophrenia: Focus on serotonin (5-HT)_{1A} receptors. *J. Pharmacol. Exp. Ther.* 295(3):853-861(2000) 2. Schotte, A., Janssen, P.F., Gommeren, W., et al. Risperidone compared with new and reference antipsychotic drugs: In vitro and in vivo receptor binding. *Psychopharmacology (Berl)* 124(1-2):57-73(1996) 3. Tanahashi, S., Yamamura, S., Nakagawa, M., et al. Clozapine, but not haloperidol, enhances glial D-serine and L-glutamate release in rat frontal cortex and primary cultured astrocytes. *Br. J. Pharmacol.* 165:1543-1555(2012) 4. Rueter, L.E., Ballard, M.E., Gallagher, K.B., et al. Chronic low dose risperidone and clozapine alleviate positive but not negative symptoms in the rat neonatal ventral hippocampal lesion model of schizophrenia. *Psychopharmacology (Berl)* 176(3-4):312-319(2004)

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