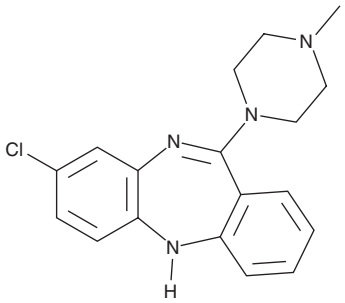
PRODUCT INFORMATION

Clozapine

Item No. 12059

CAS Registry No.:	5786-21-0
Formal Name:	8-chloro-11-(4-methyl-1-piperazinyl)-5H-dibenzo[b,e][1,4]diazepine
Synonym:	HF 1854
MF:	$C_{18}H_{19}ClN_4$
FW:	326.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 214, 229, 259 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

Clozapine is supplied as a crystalline solid. A stock solution may be made by dissolving the clozapine in the solvent of choice, which should be purged with an inert gas. Clozapine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of clozapine in ethanol is approximately 5 mg/ml and approximately 12.5 mg/ml in DMSO and DMF.

Clozapine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, clozapine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Clozapine 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

Clozapine is a partial agonist at the serotonin (5-HT) receptor subtype 5-HT (K_i = 180 nM).[1,2] It also binds to the 5-HT_{2A}, 5-HT_{2C}, 5-HT_3, 5-HT_6 and 5-HT_7 receptors (K_is = 3.3, 13, 110, 4, and 21 nM, respectively), as well as the histamine H_1 and α_1-adrenergic receptors (K_is = 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_is = 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.

References

1. Millan, M.J. *J. Pharmacol. Exp. Ther.* Improving the treatment of Schizophrenia: Focus on serotonin (5-HT)$_{1A}$ receptors. **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-serin and L-glutamate release in rat frontal cortex and primary cultured astrocytes. *Br. J. Pharmacol.* **165(5)**, 1543-1555 (2013).
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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