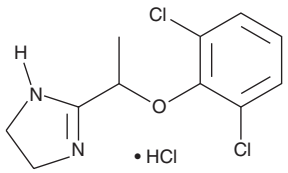
PRODUCT INFORMATION

Lofexidine (hydrochloride)

Item No. 15989

CAS Registry No.: 21498-08-8
Formal Name: 2-[1-(2,6-dichlorophenoxy) ethyl]-4,5-dihydro-1H-imidazole, monohydrochloride
MF: $C_{11}H_{12}Cl_2N_2O \bullet HCl$
FW: 295.6
Purity: ≥98%
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

Lofexidine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the lofexidine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Lofexidine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of lofexidine (hydrochloride) in ethanol and DMSO is approximately 30 mg/ml and approximately 20 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of lofexidine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of lofexidine (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Lofexidine is an α_2-adrenergic receptor agonist (K_d = 7.6 nM for rat cerebral cortex membranes) that has transient antihypertensive effects.[1-2] It is used in managing opioid withdrawal symptoms during detoxification from heroin (Item No. 9001543) and methadone.[3-4]

References

1. Jarrott, B., Louis, W.J., and Summers, R.J. Characterization of central α-adrenoceptors using ^{3}H-clonidine and its derivatives. *Chest* **83(2 Suppl)**, 339-340 (1983).
2. Bennett, D.A. and Lal, H. Discriminative stimuli produced by clonidine: An investigation of the possible relationship to adrenoceptor stimulation and hypotension. *J. Pharmacol. Exp. Ther.* **223(3)**, 642-648 (1982).
3. Ling, W., Mooney, L., Zhao, M., *et al*. Selective review and commentary on emerging pharmacotherapies for opioid addiction. *Subst. Abuse Rehabil.* **2**, 181-188 (2011).
4. Gerra, G., Zaimovic, A., Giusti, F., *et al*. Lofexidine versus clonidine in rapid opiate detoxification. *J. Subst. Abuse Treat.* **21(1)**, 11-17 (2001).

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