

Product Data Sheet

Product Name: Lofexidine (hydrochloride)

Cat. No.: GC17064

Chemical Properties

Cas. No. 21498-08-8

Chemical Name 2-[1-(2,6-dichlorophenoxy)ethyl]-4,5-dihydro-1H-imidazole, monohydrochloride

SMILES ClC1=CC=CC(Cl)=C1OC(C)C2=NCCN2.Cl

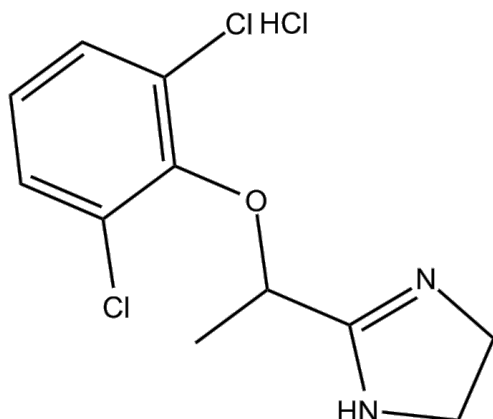
Formula $C_{11}H_{12}Cl_2N_2O \cdot HCl$ M.Wt 295.6

Solubility $\geq 11.15\text{mg/mL}$ in Water 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



Background

Lofexidine is a α_2 -Receptor agonist for opioid detoxification. Lofexidine shows a strong affinity for the α_2A -receptor subtype [1].

The α_2 adrenergic receptor is a G protein-coupled receptor (GPCR) consisting three highly homologous subtypes, α_2A -, α_2B -, and α_2C -adrenergic. The α -receptors in brain are important presynaptic modulators of central noradrenergic function (autoreceptors) and postsynaptic mediators

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

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of many effects of catecholamines and related drugs. The α 2-adrenergic agonists can be used as antihypertensives and preanesthetic agents [2].

Lofexidine is extensively absorbed, reaching peak concentrations at approximately 3 hours after oral administration. The mean maximum serum concentrations following a single 1.2 or 2.0 mg dose in healthy male adults were 1755 ± 306 and 2795 ± 593 ng/ml, respectively [1].

Clinical trials: Lofexidine has entered clinical trials in the patients treatment of opiate withdrawal. Lofexidine-treated patients experienced a rebound increase in blood pressure following discontinuation of lofexidine. The most frequently reported adverse events were insomnia, dry mucous membranes, sedation, dizziness, and asthenia [1].

References:

- [1] Gish E C, Miller J L, Honey B L, et al. Lofexidine, an α 2-receptor agonist for opioid detoxification[J]. Annals of Pharmacotherapy, 2010, 44(2): 343-351.
- [2] Scheinin M, Lomasney J W, Hayden-Hixson D M, et al. Distribution of α 2-adrenergic receptor subtype gene expression in rat brain[J]. Molecular Brain Research, 1994, 21(1): 133-149.

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