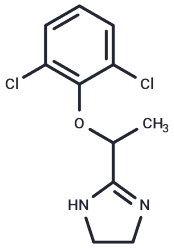
Data Sheet (Cat.No.T7160)

TargetMol

Lofexidine

Chemical Properties



CAS No. : 31036-80-3

Formula: C11H12Cl2N2O

Molecular Weight: 259.13

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lofexidine, a selective α2-receptor agonist, reduces narcotic withdrawal symptoms.
Targets(IC50)	Adrenergic Receptor
In vivo	Lofexidine significantly decreased SOWS scores compared to placebo and demonstrated better retention rates in participants undergoing opioid withdrawal. Lofexidine potentially offers a useful non-opioid alternative to treat opioid withdrawal symptoms [1].
Animal Research	8-day, randomized, double-blind, placebo-controlled, parallel-group study in 264 patients dependent on short-acting opioids evaluated the efficacy of lofexidine hydrochloride in reducing withdrawal symptoms in patients undergoing opioid withdrawal.?The primary efficacy measures were SOWS-Gossop on Day 3 and time-to-dropout.?Secondary endpoints included the proportion of participants who were completers;?area under the 5-day SOWS-Gossop - time curve (i.e., AUC1-5), and daily mean SOWS-Gossop, OOWS-Handelsman, MCGI (subject and rater), and VAS-E scores.? Participants received lofexidine HCl 3.2mg daily in four divided doses or matching placebo on Days 1-5, followed by 2days of placebo[1].

Solubility Information

Solubility	DMSO: 62.5 mg/mL (241.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.72 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8591 mL	19.2953 mL	38.5907 mL
5 mM	0.7718 mL	3.8591 mL	7.7181 mL
10 mM	0.3859 mL	1.9295 mL	3.8591 mL
50 mM	0.0772 mL	0.3859 mL	0.7718 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Gorodetzky CW, Walsh SL, Martin PR,et al.A phase III, randomized, multi-center, double blind, placebo controlled study of safety and efficacy of lofexidine for relief of symptoms in individuals undergoing inpatient opioid withdrawal[J].Drug Alcohol Depend. 2017 Jul 1;176:79-88.

Pergolizzi J V , Annabi H , Gharibo C , et al. The Role of Lofexidine in Management of Opioid Withdrawal[J]. Pain and Therapy, 2018.