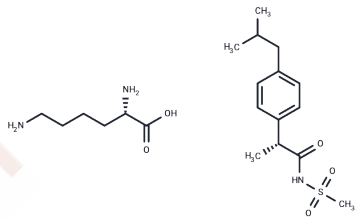
Data Sheet (Cat.No.T12705)

TargetMol

Reparixin L-lysine salt

Chemical Properties



CAS No. : 266359-93-7

Formula: C20H35N3O5S

Molecular Weight: 429.57

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	Reparixin L-lysine salt (Repertaxin L-lysine salt) is an allosteric chemokine receptor 1/2 (CXCR1/2) activation inhibitor.
Targets(IC50)	CXCR
In vitro	Reparixin is a potent CXCL8-induced inhibitor biological activities on human PMNs, with a marked selectivity (around 400-fold) for CXCR1, as shown in specific experiments on CXCR1/L1.2 and CXCR2/L1.2 transfected cells and on human PMNs.Reparixin is a non-competitive allosteric inhibitor of IL-8 receptors with a 400-fold higher efficacy in inhibiting CXCR1 activity than CXCR2[2]. The efficacy of Reparixin is significantly lower in L1.2 cells expressing Ile43Val CXCR1 mutant (IC50 values of 5.6 nM and 80 nM for CXCR1 wt and CXCR1 Ile43Val, respectively)[1].
In vivo	The pharmacokinetics and metabolism of Reparixin were investigated in rats and dogs following the intravenous administration of [14C]-Reparixin L-lysine salt. Plasma protein binding of Reparixin is >99% in both laboratory animals and humans up to 50 μg/mL, but decreases at higher concentrations. Radioactivity rapidly distributes into rat tissues, yet the volume of distribution at steady state (Vss) is low (approximately 0.15 L/kg) in both rats and dogs. Reparixin is eliminated more rapidly in rats (t1/2~0.5 h) than in dogs (t1/2~10 h)[3].

Solubility Information

Solubility	H2O: 99 mg/mL (230.46 mM),Sonication is recommended. DMSO: 99 mg/mL (230.46 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: 3.3 mg/mL (7.68 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	2.3279 mL	11.6395 mL	23.2791 mL
5 mM	0.4656 mL	2.3279 mL	4.6558 mL
10 mM	0.2328 mL	1.164 mL	2.3279 mL
50 mM	0.0466 mL	0.2328 mL	0.4656 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

Moriconi A, et al. Design of noncompetitive interleukin-8 inhibitors acting on CXCR1 and CXCR2. J Med Chem. 2007 Aug 23;50(17):3984-4002.
Lei K, Sun M, Chen X, et al.HnRNPAB promotes pancreatic ductal adenocarcinoma extravasation and liver metastasis by stablizing MYC mRNA.Molecular Cancer Research.2024
Bertini R, et al. Receptor binding mode and pharmacological characterization of a potent and selective dual CXCR1/CXCR2non-competitive allosteric inhibitor. Br J Pharmacol. 2012 Jan;165(2):436-54.
Midgley I, et al. Species differences in the pharmacokinetics and metabolism of reparixin in rat and dog. Xenobiotica. 2006 May;36(5):419-40
Catrina, Anca, et al. METHODS AND COMPOUNDS FOR THE TREATMENT OF BONE LOSS AND/OR PAIN. US 20170105971 A1.
Bertini R, et al. Noncompetitive allosteric inhibitors of the inflammatory chemokine receptors CXCR1 and CXCR2: prevention of reperfusion injury. Proc Natl Acad Sci U S A. 2004 Aug 10;101(32):11791-6.

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