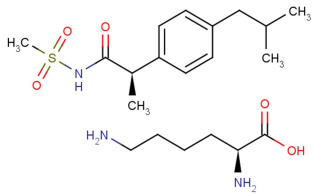
Data Sheet (Cat.No.T12705)

TargetMol
A DRUG SCREENING EXPERT

Reparixin L-lysine salt

Chemical Properties



CAS No.:	266359-93-7
Formula:	C20H35N3O5S
Molecular Weight:	429.57
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Reparixin L-lysine salt is an allosteric chemokine receptor 1/2 (CXCR1/2) activation inhibitor.
Targets(IC_{50})	CXCR1wt: 5.6 nM (in L1.2 cells) CXCR1Ile43Val: 80 nM (in L1.2 cells) CXCR1: 1 nM (in cells) CXCR2: 100 nM (in cells)
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 are investigated in rats and dogs after intravenous administration of [14C]-Reparixin L-lysine salt. Plasma protein binding of Reparixin is >99% in the laboratory animals and humans up to 50 μg/mL, but lower at higher concentrations. Although radioactivity is rapidly distributed into rat tissues, Vss is low (about 0.15 L/kg) in both rat and dog. Nevertheless, Reparixin is more rapidly eliminated in rats (t1/2~0.5 h) than in dogs (t1/2~10 h)[3].

Solubility Information

Solubility	H2O: 100 mg/mL (232.79 mM) DMSO: 100 mg/mL (232.79 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.328 mL	11.64 mL	23.279 mL
5 mM	0.466 mL	2.328 mL	4.656 mL
10 mM	0.233 mL	1.164 mL	2.328 mL
50 mM	0.047 mL	0.233 mL	0.466 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.
3. Midgley I, et al. Species differences in the pharmacokinetics and metabolism of reparixin in rat and dog. Xenobiotica. 2006 May;36(5):419-40
4. Catrina, Anca, et al. METHODS AND COMPOUNDS FOR THE TREATMENT OF BONE LOSS AND/OR PAIN. US 20170105971 A1.
5. 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.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481