

# Reparixin (L-lysine salt)

Catalog No: tcsc1380



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

266359-93-7

**Formula:**

$C_{20}H_{35}N_3O_5S$

**Pathway:**

GPCR/G Protein;Immunology/Inflammation

**Target:**

CXCR;CXCR

**Purity / Grade:**

>98%

**Solubility:**

H2O :  $\geq 200$  mg/mL (465.58 mM)

**Alternative Names:**

Repertaxin L-lysine salt

**Observed Molecular Weight:**

429.57

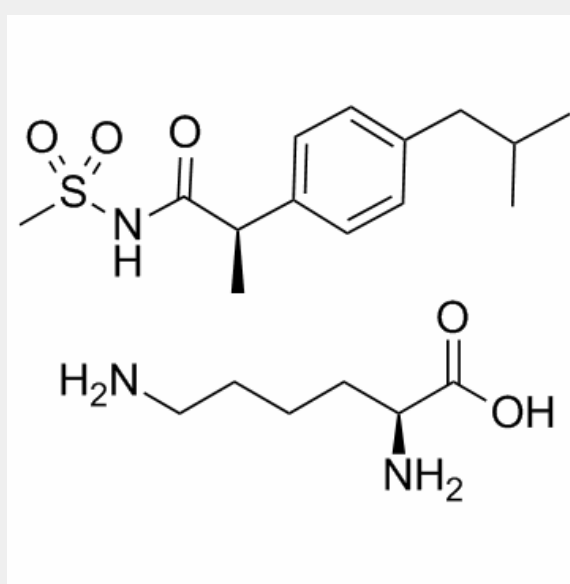
## Product Description

Reparixin L-lysine salt is a potent and specific allosteric inhibitor of both CXCL8 receptors **CXCR1/2**, it inhibits weakly **CXCR2**-mediated cell migration (**IC<sub>50</sub>**=100 nM), whereas it strongly blocks **CXCR1**-mediated chemotaxis (**IC<sub>50</sub>**=1 nM).

IC50 & Target: IC50: 5.6/80 nM (CXCR1<sup>wt</sup>/CXCR1<sup>Ile43Val</sup>, in L1.2 cell)<sup>[1]</sup>

**In Vitro:** Reparixin is a potent functional inhibitor of CXCL8-induced 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. The efficacy of Reparixin is significantly lower in L1.2 cells expressing Ile43Val CXCR1 mutant (IC<sub>50</sub> values of 5.6 nM and 80 nM for CXCR1 wt and CXCR1 Ile43Val, respectively)<sup>[1]</sup>. Reparixin is a non-competitive allosteric inhibitor of IL-8 receptors with a 400-fold higher efficacy in inhibiting CXCR1 activity than CXCR2<sup>[2]</sup>.

**In Vivo:** The pharmacokinetics and metabolism of Reparixin are investigated in rats and dogs after intravenous administration of [<sup>14</sup>C]-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, V<sub>ss</sub> is low (about 0.15 L/kg) in both rat and dog. Nevertheless, Reparixin is more rapidly eliminated in rats (t<sub>1/2</sub>~0.5 h) than in dogs (t<sub>1/2</sub>~10 h)<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!