



## Reparixin (L-lysine salt)

Catalog No: tcsc1380

Available Sizes
Size: 5mg
Size: 10mg
Size: 50mg
Specifications
<b>CAS No:</b> 266359-93-7
Formula: C <sub>20</sub> H <sub>35</sub> N <sub>3</sub> O <sub>5</sub> S
Pathway: GPCR/G Protein;Immunology/Inflammation
Target: CXCR;CXCR
Purity / Grade: >98%
<b>Solubility:</b> H2O : ≥ 200 mg/mL (465.58 mM)
Alternative Names: Repertaxin L-lysine salt
Observed Molecular Weight: 429.57





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

IC50 & Target: IC50: 5.6/80 nM (CXCR1<sup>wt</sup>/CXCR1<sup>lle43Val</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 [ $^{14}$  C]-Reparixin L-lysine salt. Plasma protein binding of Reparixin is >99% in the laboratory animals and humans up to 50  $\mu$ g/mL, but lower at higher concentrations. Although radioactivity is rapidly distributed into rat tissues,  $V_{ss}$  is low (about 0.15 L/kg) in both rat and dog. Nevertheless, Reparixin is more rapidly eliminated in rats ( $t_{1/2} \sim 0.5$  h) than in dogs ( $t_{1/2} \sim 10$  h)[ $^{3}$ ].

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