Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 200 mg/mL (465.58 mM)

Alternative Names:
Repertaxin L-lysine salt

Observed Molecular Weight:
429.57

Product Description

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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 ($CXCR1^{wt}$/$CXCR1^{Ile43Val}$, in L1.2 cell)[1]

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_{50} values of 5.6 nM and 80 nM for CXCR1 wt and CXCR1 Ile43Val, respectively)[1]. Reparixin is a non-competitive allosteric inhibitor of IL-8 receptors with a 400-fold higher efficacy in inhibiting CXCR1 activity than CXCR2[2].

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 μg/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 ($t_{1/2}$~0.5 h) than in dogs ($t_{1/2}$~10 h)[3].