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

SCH 546738

Catalog No: tcsc1978

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
906805-42-3

Formula:
$C_{23}H_{31}Cl_2N_7O$

Pathway:
GPCR/G Protein;Immunology/Inflammation

Target:
CXCR;CXCR

Purity / Grade:
>98%

Solubility:
DMSO : 4.5 mg/mL (9.14 mM; Need ultrasonic)

Observed Molecular Weight:
492.44

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Product Description

SCH 546738 is a novel, potent and non-competitive **CXCR3** antagonist, the affinity constant ($\mathbf{K_i}$) of SCH 546738 binding to human CXCR3 receptor is determined to be 0.4 nM in multiple experiments.

IC50 & Target: Ki: 0.4 nM (CXCR3 receptor)[1]

In Vitro: The affinity of SCH 546738 binding to human CXCR3 receptor is determined by competition binding analysis using ^{35}S radiolabeled SCH 535390 (a sulfonamide analog of the CXCR3 compound series with a K_d of 0.6 nM) as a competitive tracer. In addition, SCH 546738 displaces radiolabeled CXCL10 and CXCL11 from human CXCR3 with IC_{50} ranging from 0.8 to 2.2 nM in a non-competitive manner. SCH 546738 potently and specifically inhibits CXCR3-mediated chemotaxis in human activated T cells with IC_{90} about 10 nM. Competition of human CXCL10 and CXCL11 binding to human CXCR3 by SCH 546738 is determined at various concentrations of [^{125}I]hCXCL10 and [^{125}I]hCXCL11 around the K_d (50-100 pM) for the receptor. The IC_{50} of SCH 546738 is constant (~1 or 2 nM) and independent of the input concentrations of either [^{125}I]hCXCL10 (25-500 pM) or [^{125}I]hCXCL11 (12.5-250 pM), respectively[1].

In Vivo: SCH 546738 has strong cross-species activities with IC_{50} of 1.3 nM, 6.4 nM, 5.9 nM and 4.2 nM in inhibiting the binding of [^{125}I]hCXCL10 to CXCR3 of monkey, dog, mouse and rat origin, respectively. SCH 546738 is a selective and potent CXCR3 antagonist with a good PK for in vivo studies. In addition, SCH 546738 has a favourable pharmacokinetic profile in rodents, the plasma concentrations of SCH 546738 in Lewis rat and C57BL/6 mouse over 24 hr post-dose. The AUC (0-24 hr) is 7.7 μM.hr in Lewis rat 10 mg/kg (mpk) and is 12.6 μM.hr in C57BL/6 mouse 30 mpk[1].