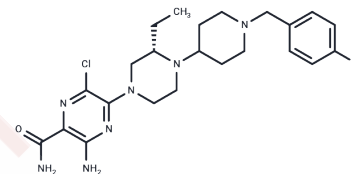


SCH 546738

Chemical Properties

CAS No. : 906805-42-3
 Formula: C₂₃H₃₁Cl₂N₇O
 Molecular Weight: 492.45
 Storage: Store at low temperature
 Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	SCH 546738 is an orally available, selective and potent CXCR3 antagonist that attenuates the development of autoimmune diseases and delays graft rejection.
Targets(IC50)	CXCR
In vitro	SCH 546738 effectively and specifically inhibits CXCR3-mediated chemotaxis in human activated T cells (IC ₉₀ =10 nM). SCH 546738 displaces radiolabeled CXCL10 and CXCL11 from human CXCR3 (IC ₅₀ : ranging from 0.8 to 2.2 nM) in a non-competitive manner. Competition of human CXCL10 and CXCL11 binding to human CXCR3 by SCH 546738 is determined at various concentrations of [125I]hCXCL10 and [125I]hCXCL11 around the K _d (50-100 pM) for the receptor. [1]
In vivo	SCH 546738 is a selective and effective CXCR3 antagonist with a good PK for in vivo studies. SCH 546738 has a favorable pharmacokinetic profile in rodents, the plasma concentrations of SCH 546738 in Lewis rat, and C57BL/6 mouse over 24 hr post-dose. SCH 546738 has strong cross-species activities in inhibiting the binding of [125I]hCXCL10 to CXCR3 of monkey (IC ₅₀ = 1.3 nM), dog (IC ₅₀ = 6.4 nM), mouse (IC ₅₀ = 5.9 nM) and rat origin (IC ₅₀ = 4.2 nM). [1]

Solubility Information

Solubility	DMSO: 2 mg/mL (4.06 mM),Sonication is recommended. H ₂ O: < 1 mg/mL (2.03 mM, insoluble or slightly soluble.) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.03 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0307 mL	10.1533 mL	20.3066 mL
5 mM	0.4061 mL	2.0307 mL	4.0613 mL
10 mM	0.2031 mL	1.0153 mL	2.0307 mL
50 mM	0.0406 mL	0.2031 mL	0.4061 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jenh CH, et al. A selective and potent CXCR3 antagonist SCH 546738 attenuates the development of autoimmune diseases and delays graft rejection. *BMC Immunol.* 2012 Jan 10;13:2.

Zhang X, et al. CXC chemokine receptor 3 promotes steatohepatitis in mice through mediating inflammatory cytokines, macrophages and autophagy. *J Hepatol.* 2016 Jan;64(1):160-70.

Yue C, et al. STAT3 in CD8

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