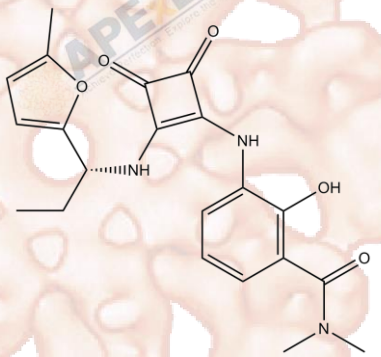
Product Data Sheet

SCH 527123



Cat. No.:	A3802
CAS No.:	473727-83-2
Formula:	C21H23N3O5
M.Wt:	397.42
Synonyms:	SCH-527123;SCH527123
Target:	GPCR/G protein
Pathway:	CXCR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾103 mg/mL in EtOH with ultrasonic; ⩾19.85 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.5162 mL	12.5811 mL	25.1623 mL
5 mM	0.5032 mL	2.5162 mL	5.0325 mL
10 mM	0.2516 mL	1.2581 mL	2.5162 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	CXCR1 and CXCR2 receptors antagonist
IC_{50} & Target	42 nM (CXCR1), 3 nM (CXCR2)

In Vitro

Cell Viability Assay

Cell Line:	Human melanoma cell line (A375SM)
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μg/ml, 72h

	Applications:	SCH-479833 or SCH 527123 inhibited the melanoma cell proliferation, chemotaxis, and invasive potentialin vitro.Treatment of melanoma cells with SCH-479833 or SCH 527123 also inhibited tumor growth. Histologic and histochemical analyses showed significant (P<0.05) decreases in tumor cell proliferation and microvessel density in tumors. Moreover, we observed a significant increase in melanoma cell apoptosis in SCH-479833- or SCH 527123-treated animals compared with controls.
In Vivo	**Animal experiment**	
	Animal models:	Male BALB/c mice, 20-25 g
	Dosage form:	Sch-527123 was suspended in 0.4% methylcellulose
	Applications:	Sch 527123 was bound with high affinity to the CXCR2 receptors of mouse (Kd=0.20 nM), rat (Kd=0.20 nM), and cynomolgus monkey (Kd=0.08 nM) and was a potent antagonist of CXCR2-mediated chemotaxis (IC50~3– 6 nM). In contrast, Sch 527123 bound to cynomolgus CXCR1 with lesser affinity (Kd=41 nM) and weakly inhibited cynomolgus CXCR1-mediated chemotaxis (IC50 ~1000 nM). Oral treatment with Sch-527123 blocked pulmonary neutrophilia (ED50=1.2 mg/kg) and goblet cell hyperplasia (32–38% inhibition at 1–3 mg/kg) in mice following the intranasal lipopolysaccharide (LPS) administration. In rats, Sch-527123 suppressed the pulmonary neutrophilia (ED=501.8 mg/kg) and increase in bronchoalveolar lavage (BAL) mucin content (ED 50≤ 0.1 mg/kg) induced by intratracheal (i.t.) LPS. Sch-527123 also suppressed the pulmonary neutrophilia (ED50=1.3 mg/kg), goblet cell hyperplasia (ED 50=0.7 mg/kg), and increase in BAL mucin content (ED50<1 mg/kg) in rats after i.t. administration of vanadium pentoxide. In cynomolgus monkeys, Sch-527123 reduced the pulmonary neutrophilia induced by repeat bronchoscopy and lavage (ED50=0.3 mg/kg).
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Ian Johnston. "Platelet Factor 4 And Von Willebrand Factor Form An Immunogenic, Prothrombotic Complex In Heparin-Induced Thrombocytopenia." University of Pennsylvania.2018.

2. Ravi Holani, Fernando Lopes, et al. "Cathelicidin senses enteric pathogen Salmonella typhimurium/LPS for colonic chemokine generation: a new innate immune role for a host defense peptide." bioRxiv. 2018.

3. Jinghua Jiang , Fei Ye, et al. "Peri-tumor associated fibroblasts promote intrahepatic metastasis of hepatocellular carcinoma by recruiting cancer stem cells." Cancer Letters.17 July 2017 1/10.

4. Khanam A, Trehanpati N, et al. "Blockade of Neutrophil's Chemokine Receptors CXCR1/2 Abrogate Liver Damage in Acute-on-Chronic Liver Failure." Front Immunol. 2017 Apr 24;8:464.PMID:28484461

5. Giannou AD, Marazioti A, et al. "NRAS destines tumor cells to the lungs." EMBO Mol Med. 2017May;9(5):672-686.PMID:28341702

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References

1. Singh S1, Sadanandam A, Nannuru KC et al. Small-molecule antagonists for CXCR2 and CXCR1 inhibit human melanoma growth by decreasing tumor cell proliferation, survival, and angiogenesis. Clin Cancer Res. 2009 Apr 1;15(7):2380-6.
2. Chapman RW1, Minnicozzi M, Celly CS et al. A novel, orally active CXCR1/2 receptor antagonist, Sch527123, inhibits neutrophil recruitment, mucus production, and goblet cell hyperplasia in animal models of pulmonary inflammation. J Pharmacol Exp Ther. 2007 Aug;322(2):486-93. Epub 2007 May 11.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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