Product Data Sheet

Chemical Properties

Product Name: SCH 527123
Cas No.: 473727-83-2
M.Wt: 397.42
Formula: C21H23N3O5
Synonyms: SCH-527123; SCH527123

Chemical Name: 2-hydroxy-N,N-dimethyl-3-[[2-[[1R]-1-(5-methylfuran-2-yl)propyl]amino]-3,4-dioxocyclobuten-1-yl]amino]benzamide
Canonical SMILES: CCC(C1=CC=C(O1)C)NC2=C(C(=O)C2=O)NC3=CC(CC3O)C(=O)N(C)C

Solubility: >19.9mg/mL in DMSO
Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: CXCR
Pathways: GPCR/G protein >> CXCR

Description:
SCH-527123 is a novel, selective CXC chemokine receptor 2 (CXCR2) antagonist.
SCH-527123 was able to suppress CXCR2-mediated signal transduction as shown through decreased phosphorylation of the NF-kB/mitogen-activated protein kinase (MAPK)/AKT pathway [1].
Cells were treated with increasing concentrations of SCH-527123 for 72 hours and showed
dose-dependent growth inhibitory activity with IC50 (72 h) values ranging from 18 to 40 μmol/L. Importantly the IL-8–overexpressing cells showed a higher IC50 (72 h) concentration of SCH-527123 than parental cells [HCT116 and E2 (P < 0.005): 28.9 ± 0.02 μmol/L and 39.5 ± 0.01 μmol/L, respectively; Caco2 and IIle (P < 0.005): 18.8 ± 0.03 μmol/L and 25.5 ± 0.02 μmol/L, respectively]. Therefore, SCH-527123 decreased growth inhibitory activity in colorectal cancer cell lines [2].

Reference:

Protocol

Cell experiment:

Cell lines 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 potential in 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.

Animal experiment [3]:

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.

Reference:

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.