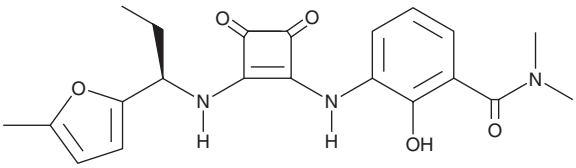
PRODUCT INFORMATION

SCH 527123

Item No. 27800

CAS Registry No.:	473727-83-2
Formal Name:	2-hydroxy-N,N-dimethyl-3-[[2-[[(1R)-1-(5-methyl-2-furanyl)propyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]-benzamide
Synonym:	MK-7123
MF:	$C_{21}H_{23}N_3O_5$
FW:	397.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 296, 347 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SCH 527123 is supplied as a crystalline solid. A stock solution may be made by dissolving the SCH 527123 in the solvent of choice, which should be purged with an inert gas. SCH 527123 is soluble in DMSO and slightly soluble in methanol.

Description

SCH 527123 is an allosteric antagonist of C-X-C chemokine receptor type 1 (CXCR1; IC_{50} = 43 nM in Ba/F3 cells) and CXCR2 (IC_{50} = 0.97 nM in HTS cells).[1] It inhibits calcium flux induced by CXCL8 in Ba/F3 cells expressing human recombinant CXCR1 or CXCR2. SCH 527123 (3 nM) inhibits CXCL8-induced chemotaxis of Ba/F3 cells expressing human recombinant CXCR2 and CXCL1-induced chemotaxis of isolated human polymorphonuclear cells (PMNs). It also inhibits LPS-induced neutrophil infiltration into the lung (ED_{50} = 1.8 mg/kg) and increases mucin levels in bronchoalveolar lavage fluid (BALF) in a rat model of airway inflammation.[2] SCH 527123 inhibits proliferation of wild-type (IC_{50}s = 28.95 and 18.78 µM, respectively) and IL-8 overexpressing HCT116 and Caco-2 cells (IC_{50}s = 39.45 and 25.45 µM, respectively).[3] It reduces tumor growth in an HCT116 mouse xenograft model when administered at a dose of 50 mg/kg per day.

References

1. Gonsiorek, W., Fan, X., Hesk, D., *et al.* Pharmacological characterization of Sch527123, a potent allosteric CXCR1/CXCR2 antagonist. *J. Pharmacol. Exp. Ther.* **322(2),** 477-485 (2007).
2. Chapman, R.W., Minnicozzi, M., Celly, C.S., *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.* **322(2),** 486-493 (2007).
3. Ning, Y., Labonte, M.J., Zhang, W., *et al.* The CXCR2 antagonist, SCH-527123, shows antitumor activity and sensitizes cells to oxaliplatin in preclinical colon cancer models. *Mol. Cancer Ther.* **11(6),** 1353-1364 (2012).

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