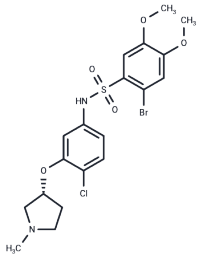
Data Sheet (Cat.No.T12848)

TargetMol

SB-657510

Chemical Properties



CAS No. : 474960-44-6

Formula: C19H22BrClN2O5S

Molecular Weight: 505.81

Storage: 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	SB-657510 is a urotensin II (UII) receptor (UT) antagonist. The Ki values of UT for human, monkey, cat, rat and mouse were 61, 17, 30, 65 and 56 nM, respectively. SB-657510 plays an anti-inflammatory role by inhibiting UII-induced inflammatory mediators, such as adhesion molecules, in human vascular endothelial cells and upregulation of cytokines and tissue factors. SB 657510 has a therapeutic effect on diabetes-related atherosclerotic disease in diabetic mouse models.
Targets(IC50)	Neurotensin Receptor,GPCR
In vitro	The UII-induced increase in adhesion between U937 and EA.hy926 cells was blocked by SB-657510 dramatically. SB-657510 (1 μM; 0.5-8 hours) blocks the expression of tissue factor induced by UII in endothelial cells.[1] SB-706375 (1-10000 nM) inhibits [Ca2+]i mobilization elicited by 10nM hU-II(IC50 of 180 nM).[2]
In vivo	The progression of high-fat diet-induced atherosclerosis and diabetes-associated atherosclerosis inhibited by SB-657510.[1] Levels of phosphorylated ERK are significantly attenuated in the aorta of SB-657510-treated (30 mg/kg/day) diabetic mice (Male Apoe KO mice).[3]

Solubility Information

Solubility	DMSO: 27 mg/mL (53.38 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.977 mL	9.8851 mL	19.7703 mL
5 mM	0.3954 mL	1.977 mL	3.9541 mL
10 mM	0.1977 mL	0.9885 mL	1.977 mL
50 mM	0.0395 mL	0.1977 mL	0.3954 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

Park SL, et al. Inhibitory Effect of an Urotensin II Receptor Antagonist on Proinflammatory Activation Inducedby Urotensin II in Human Vascular Endothelial Cells. Biomol Ther (Seoul). 2013;21(4):277-283.
Behm DJ, et al. Palosuran inhibits binding to primate UT receptors in cell membranes but demonstrates differential activity in intact cells and vascular tissues. Br J Pharmacol. 2008;155(3):374-386.
Watson AM, et al. Urotensin II receptor antagonism confers vasoprotective effects in diabetes associated atherosclerosis: studies in humans and in a mouse model of diabetes. Diabetologia. 2013;56(5):1155-1165.