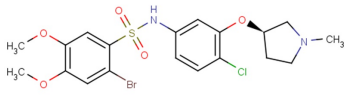
Data Sheet (Cat.No.T12848)

TargetMol
A DRUG SCREENING EXPERT

SB-657510

Chemical Properties



CAS No.:	474960-44-6
Formula:	C19H22BrClN2O5S
Molecular Weight:	505.81
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	SB-657510 is a selective antagonist of urotensin II (UII) receptor (UT).
Targets(IC_{50})	Others: None
In vitro	The UII-induced increase in adhesion between U937 and EA.hy926 cell 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 10 nM 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	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.977 mL	9.885 mL	19.77 mL
5 mM	0.395 mL	1.977 mL	3.954 mL
10 mM	0.198 mL	0.989 mL	1.977 mL
50 mM	0.04 mL	0.198 mL	0.395 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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 Jul 30;21(4):277-83.
2. 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 Oct;155(3):374-86.
3. 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 May;56(5):1155-65.

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