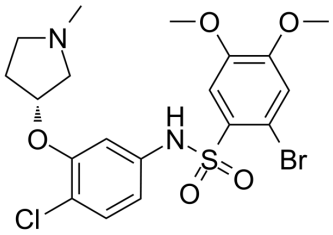
SB-657510

Cat. No.:	HY-10656
CAS No.:	474960-44-6
Molecular Formula:	$C_{19}H_{22}BrClN_2O_5S$
Molecular Weight:	505.81
Target:	Urotensin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (197.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9770 mL	9.8851 mL	19.7703 mL
	5 mM	0.3954 mL	1.9770 mL	3.9541 mL
	10 mM	0.1977 mL	0.9885 mL	1.9770 mL

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

BIOLOGICAL ACTIVITY

Description

SB-657510 is a selective urotensin II (UII) receptor (UT) antagonist. The K_i values are 61, 17, 30, 65 and 56 nM for human, monkey, cat, rat and mouse receptors, respectively. SB-657510 exerts anti-inflammatory effects by inhibiting UII-induced upregulation of inflammatory mediators such as adhesion molecules, cytokines, and tissue factor in human vascular endothelial cells[1][2].

In Vitro

SB-657510 dramatically blocks the UII-induced increase in adhesion between U937 and EA.hy926 cell. 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 $[Ca^{2+}]_i$ mobilization elicited by 10 nM hU-II with an IC_{50} of 180 nM[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	EA.hy926 cells (UII-induced)
Concentration:	1 μM

Incubation Time:	0.5, 1, 2, 4, 8 hours
Result:	Remarkably decreased the UII-induced protein expression of tissue factor.

In Vivo

SB-657510 inhibits the progression of high-fat diet induced atherosclerosis and diabetes-associated atherosclerosis[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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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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