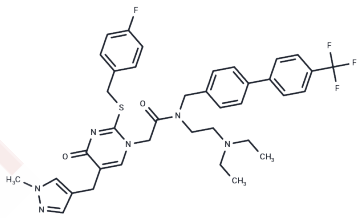
Data Sheet (Cat.No.T75255)

TargetMol

SB-435495

Chemical Properties



CAS No. : 304694-39-1

Formula: C38H40F4N6O2S

Molecular Weight: 720.82

Storage: Store at low temperature
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-435495 is an orally active, selective and potent Lp-PLA2 inhibitor (IC50 of 0.06 nM) for the study of autoimmune uveitis and atherosclerosis.
Targets(IC50)	Phospholipase
In vitro	SB-435495 inhibits CYP450 3A4 with an IC50 of 10 μM and a membrane permeability of 0.017 cm/h[1]; SB-435495 (5 μM; 24 h) significantly inhibits the expression of Lp-PLA2 protein and simultaneously increases oxLDL-exposed HUVECs. Medium expression levels of AMPKα and phospho-AMPKα (T172); SB-435495 (5 μM; 24-72 h) significantly increased cell viability and NO expression and significantly decreased ET-1 expression in oxLDL-exposed HUVECs[2] .
In vivo	SB-435495 (10 mg/kg; p.o.; once) inhibits plasma Lp-PLA2 in WHHL rabbit [1]. SB-435495 (10 mg/kg; i.p.; daily for 28 days) effectively inhibits blood retinal barrier (BRB) disruption in Streptozotocin diabetic Brown Norway rats [3].

Solubility Information

Solubility	DMSO: 80 mg/mL (110.98 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3873 mL	6.9365 mL	13.8731 mL
5 mM	0.2775 mL	1.3873 mL	2.7746 mL
10 mM	0.1387 mL	0.6937 mL	1.3873 mL
50 mM	0.0277 mL	0.1387 mL	0.2775 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

Blackie JA, et al. The discovery of SB-435495. A potent, orally active inhibitor of lipoprotein-associated phospholipase A(2) for evaluation in man. Bioorg Med Chem Lett. 2002 Sep 16;12(18):2603-6.
Crawford GL, et al. The role of lipoprotein-associated phospholipase A2 in a murine model of experimental autoimmune uveoretinitis. PLoS One. 2015 Apr 15;10(4):e0122093.
Yang L, et al. AMP-activated protein kinase mediates the effects of lipoprotein-associated phospholipase A2 on endothelial dysfunction in atherosclerosis. Exp Ther Med. 2017 Apr;13(4):1622-1629.

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