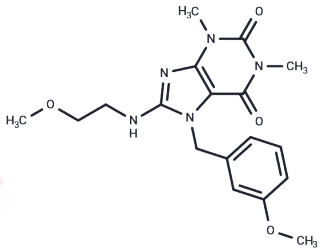
Data Sheet (Cat.No.T72025)

TargetMol

PCSK9-IN-10

Chemical Properties



CAS No. : 368434-98-4

Formula: C18H23N5O4

Molecular Weight: 373.41

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	PCSK9-IN-10, a potent and orally active inhibitor of Proprotein Convertase Subtilisin/Kexin Type 9 (PCSK9), exhibits a half-maximal inhibitory concentration (IC50) of 6.4 μM. By enhancing the expression of Low-Density Lipoprotein Receptor (LDLR) protein and reducing PCSK9 expression, PCSK9-IN-10 effectively decreases atherosclerosis progression. This compound holds promise for hyperlipidemia research applications.
Targets(IC50)	Others,Serine/threonin kinase,LDLR
In vitro	PCSK9-IN-10 (0, 2.5, 5, 12.5, 25 μM ； 24 h) significantly reduces PCSK9 protein expression and increases the expression of LDL receptor (LDLR) in a dose-dependent manner.[1]
In vivo	PCSK9-IN-10 (30 mg/kg; oral administration; once daily for 8 weeks) reduces total cholesterol (TC) levels and atherosclerotic plaque size in ApoE KO mice.[1]

Solubility Information

Solubility	DMSO: 225 mg/mL (602.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (13.39 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.678 mL	13.3901 mL	26.7802 mL
5 mM	0.5356 mL	2.678 mL	5.356 mL
10 mM	0.2678 mL	1.339 mL	2.678 mL
50 mM	0.0536 mL	0.2678 mL	0.5356 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

Qiao MQ, et al. Structure-activity relationship and biological evaluation of xanthine derivatives as PCSK9 inhibitors for the treatment of atherosclerosis. Eur J Med Chem. 2023;247:115047.