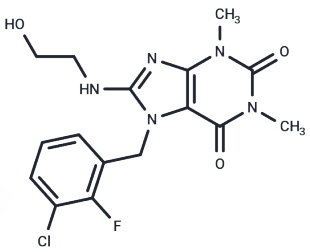
Data Sheet (Cat.No.T67776)

PCSK9-IN-11

Chemical Properties



CAS No. : 2882035-56-3

Formula: C16H17ClFN5O3

Molecular Weight: 381.789

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-11 (compound 5r) is a potent PCSK9 inhibitor with oral activity, exhibiting inhibitory activity on PCSK9 transcription in HepG2 cells (IC50 = 5.7 μM) and capable of increasing LDL receptor (LDLR) protein levels. PCSK9-IN-11 is applicable for atherosclerosis research.
Targets(IC50)	Others,LDLR
In vitro	PCSK9-IN-11 (compound 5r) (0-25 μM, 24 h) significantly reduces PCSK9 protein levels and increases LDLR expression in a dose-dependent manner[1].
In vivo	PCSK9-IN-11 (compound 5r) (0-1000 mg/kg, gavage, once) demonstrates superior safety in vivo, with an LD50 value exceeding 1000 mg/kg. Administered at 30 mg/kg via gavage once daily for 8 weeks, PCSK9-IN-11 significantly inhibits liver PCSK9 expression and slightly reduces serum PCSK9 levels [1].

Solubility Information

Solubility	DMSO: 3.82 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6192 mL	13.0962 mL	26.1924 mL
5 mM	0.5238 mL	2.6192 mL	5.2385 mL
10 mM	0.2619 mL	1.3096 mL	2.6192 mL
50 mM	0.0524 mL	0.2619 mL	0.5238 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. 2022 Dec 26;247:115047.