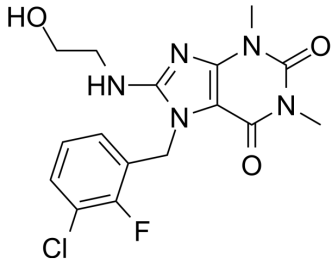
PCSK9-IN-11

Cat. No.:	HY-152223
CAS No.:	2882035-56-3
Molecular Formula:	$C_{16}H_{17}ClFN_5O_3$
Molecular Weight:	381.79
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (327.41 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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

BIOLOGICAL ACTIVITY

Description

PCSK9-IN-11 (compound 5r) is a potent and orally active PCSK9 inhibitor. PCSK9-IN-11 exhibits PCSK9 transcriptional inhibitory activity in HepG2 cells, with an IC_{50} of 5.7 μM. PCSK9-IN-11 increases LDL receptor (LDLR) protein level. PCSK9-IN-11 can be used for atherosclerosis research[1].

IC_{50} & Target

IC50: 5.7 μM (PCSK9)[1]

In Vitro

PCSK9-IN-11 (compound 5r) (0-25 μM, 24 h) significantly decreases PCSK9 protein level and increases LDLR expression in a dose dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	HepG2 cells
Concentration:	0, 2.5, 5, 12.5, 25 μM
Incubation Time:	24 h

Result:	Significantly decreased PCSK9 protein level in a dose dependent manner. Markedly increased LDLR expression in a dose dependent manner. Significantly and dose-dependently increased DiI-LDL uptake by around 1.7 folds.

In Vivo

PCSK9-IN-11 (compound 5r) (0-1000 mg/kg, IG, once) exhibits a good in vivo safety feature with the halflethal dose (LD_{50}) value of over 1000 mg/kg[1].

PCSK9-IN-11 (30 mg/kg, IG, once a day for 8 weeks) significantly suppresses hepatic PCSK9 expression and slightly reduces serum PCSK9 level[1].

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

Animal Model:	C57BL/6J mice[1]
Dosage:	0, 250, 500 or 1000 mg/kg
Administration:	Intragastrically administrated, single dose
Result:	Exhibited a good in vivo safety feature with the halflethal dose (LD_{50}) value of over 1000 mg/kg. Did not affected the body weight, behavioral and survival characteristics of mice.

Animal Model:	ApoE KO mice (under high-fat diet (HFD))[1]
Dosage:	30 mg/kg
Administration:	Intragastric administration, once a day for 8 weeks
Result:	Significantly suppressed hepatic PCSK9 expression and slightly reduced serum PCSK9 level.

REFERENCES

[1]. 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.

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