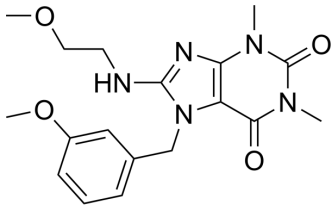
PCSK9-IN-10

Cat. No.:	HY-152221
CAS No.:	368434-98-4
Molecular Formula:	$C_{18}H_{23}N_5O_4$
Molecular Weight:	373.41
Target:	Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (669.51 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6780 mL	13.3901 mL	26.7802 mL
	5 mM	0.5356 mL	2.6780 mL	5.3560 mL
	10 mM	0.2678 mL	1.3390 mL	2.6780 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PCSK9-IN-10 is a potent and orally active PCSK9 inhibitor with an IC_{50} value of 6.4 μM. PCSK9-IN-10 increases the expression of LDLR protein and decreases the expression of PCSK9. PCSK9-IN-10 reduces atherosclerosis progression. PCSK9-IN-10 has the potential for the research of hyperlipidemia[1].

In Vitro

PCSK9-IN-10 (compound 3s) (0, 2.5, 5, 12.5, 25 μM; 24 h) significantly decreases the PCSK9 protein expression and increases the expression of LDL receptor (LDLR) in a dose dependent manner[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	HepG2 cells
Concentration:	0-1000 μM
Incubation Time:	24 h
Result:	Showed low cytotoxicity to HepG2 cells.

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.

In Vivo

PCSK9-IN-10 (30 mg/kg; p.o.; once a day for 8 weeks) reduces total cholesterol (TC) and atherosclerotic plaque size in ApoE KO mice[1].

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

Animal Model:	Eight weeks old male ApoE KO mice[1]
Dosage:	30 mg/kg
Administration:	P.o.; once a day for 8 weeks
Result:	Inhibited both hepatic and serum PCSK9 content obviously and reduced reduced atherosclerotic plaque size.

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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