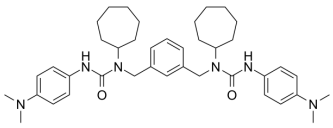
YM17E

Cat. No.:	HY-101627
CAS No.:	124900-72-7
Molecular Formula:	$C_{40}H_{56}N_6O_2$
Molecular Weight:	652.91
Target:	Acyltransferase
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 : ≥ 125 mg/mL (191.45 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.5316 mL	7.6580 mL	15.3160 mL
5 mM	0.3063 mL	1.5316 mL	3.0632 mL
10 mM	0.1532 mL	0.7658 mL	1.5316 mL

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

BIOLOGICAL ACTIVITY

Description

YM17E is an inhibitor of acyl CoA:cholesterol acyltransferase (ACAT), with IC_{50} of 44 nM in rabbit liver microsomes in vitro.

IC_{50} & Target

IC50: 44 nM (ACAT in rabbit liver microsomes)[1]

In Vitro

YM17E is as potent in inhibiting ACAT activity in the liver as in the intestine, with IC_{50} values of 45 and 34 nM, respectively[2].

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

In Vivo

YM17E (3, 10 and 30 mg/kg per day, p.o.) decreases total cholesterol, cholesteryl ester and non-HDL cholesterol in a dose-dependent manner. Total cholesterol and cholesteryl ester levels in liver do not decrease significantly after intravenous administration of YM17E, but do decrease significantly and in a dose-dependent manner after oral administration. YM17E (3, 5, 10 mg/kg, i.v.) significantly inhibits hepatic ACAT activities in a dose-dependent manner. YM17E produces a significant increase in ^{125}I-LDL clearance in atherogenic diet-fed rats after both oral and intravenous administration[1]. YM17E inhibits production of [^{14}C]cholesteryloleate from [^{14}C]oleoyl CoA in a dose-dependent manner in both liver and intestinal microsomes used as enzyme sources[2].

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

PROTOCOL

Animal Administration [1]	YM17E is administered to rats fed an atherogenic diet at intravenous doses of 0, 3, 5 and 10 mg/kg per day for 5 days or oral doses of 0, 3, 10 and 30 mg/kg per day for 5 days. At 2 h after final administration, all the blood and liver are removed. Serum is obtained from the blood by centrifugation and serum total cholesterol and free cholesterol are measured by an enzymatic method. Serum HDL is prepared by the heparin-Mn method. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Uchida T, et al. Relationship between bioavailability and hypocholesterolemic activity of YM17E, an inhibitor of ACAT, in cholesterol-fed rats. Atherosclerosis. 1998 Mar;137(1):97-106.

[2]. Kashiwa M, et al. Pharmacological properties of YM17E, an acyl-CoA:cholesterol acyltransferase inhibitor, and diarrheal effect in beagle dogs. Jpn J Pharmacol. 1997 Jan;73(1):41-50.

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