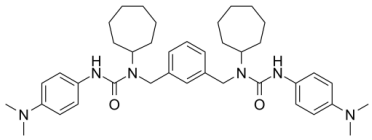
Data Sheet

Product Name:	YM17E
Cat. No.:	CS-6836
CAS No.:	124900-72-7
Molecular Formula:	$C_{40}H_{56}N_6O_2$
Molecular Weight:	652.91
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 125 mg/mL (191.45 mM)



BIOLOGICAL ACTIVITY:

YM17E is an inhibitor of acyl CoA:cholesterol acyltransferase (**ACAT**), with **IC_{50}** of 44 nM in rabbit liver microsomes in vitro. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: YM17E is dissolved in isotonic saline containing 20 mM phosphoric acid for intravenous administration. YM17E is suspended in 0.5% methyl cellulose for oral 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.

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.

CAIndexNames:

Urea, N,N''-[1,3-phenylenebis(methylene)]bis[N-cycloheptyl-N'-[4-(dimethylamino)phenyl]-

SMILES:

O=C(NC1=CC=C(N(C)C)C=C1)N(CC2=CC=CC(CN(C3CCCCCC3)C(NC4=CC=C(N(C)C)C=C4)=O)=C2)C5CCCCCC5

Caution: Product has not been fully validated for medical applications. For research use only.

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