Product Data Sheet

Product Name: YM17E
Cat. No.: GC31443

Chemical Properties

Cas. No.	124900-72-7		
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		
Formula	$C_{40}H_{56}N_6O_2$	M.Wt	652.91
Solubility	DMSO : ≥ 125 mg/mL (191.45 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	YM17E		

Protocol

Animal experiment:

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.

Background

YM17E is an inhibitor of acyl CoA:cholesterol acyltransferase (ACAT), with IC50 of 44 nM in rabbit liver microsomes in vitro.

YM17E is as potent in inhibiting ACAT activity in the liver as in the intestine, with IC50 values of 45 and 34 nM, respectively[2].

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

Product Data Sheet

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 125I-LDL clearance in atherogenic diet-fed rats after both oral and intravenous administration[1]. YM17E inhibits production of [14C]cholesteryloleate from [14C]oleoyl CoA in a dose-dependent manner in both liver and intestinal microsomes used as enzyme sources[2].

[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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA