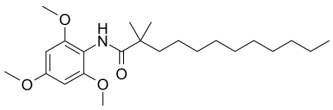
PD 128042

Cat. No.:	HY-107572
CAS No.:	114289-47-3
Molecular Formula:	$C_{23}H_{39}NO_4$
Molecular Weight:	393.56
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (254.09 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5409 mL	12.7045 mL	25.4091 mL
	5 mM	0.5082 mL	2.5409 mL	5.0818 mL
	10 mM	0.2541 mL	1.2705 mL	2.5409 mL

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

BIOLOGICAL ACTIVITY

Description

PD 128042 (CI 976) is a potent, orally active, and selective inhibitor of ACAT (acyl coenzyme A:cholesterol acyltransferase) with an IC_{50}s of 73 nM. PD 128042 is also a potent LPAT (lysophospholipid acyltransferase) inhibitor. PD 128042 inhibits Golgi-associated LPAT activity (IC_{50}=15 μM). PD 128042 inhibits multiple membrane trafficking steps, including ones found in the endocytic and secretory pathway[1][2][3].

In Vivo

PD 128042 (CI 976) (10-30 mg/kg; gavage, in the chronic cholesterol-fed rat model) decreases non-high density lipoprotein (HDL)-cholesterol and increases HDL-cholesterol in rats with pre-established dyslipidemia[4].

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

REFERENCES

[1]. Chambers K, et al. A unique lysophospholipid acyltransferase (LPAT) antagonist, CI-976, affects secretory and endocytic membrane trafficking pathways. J Cell Sci. 2005;118(Pt 14):3061-3071.

[2]. O'Brien PM, et al. Inhibitors of acyl-CoA:cholesterol O-acyl transferase (ACAT) as hypocholesterolemic agents. 8. Incorporation of amide or amine functionalities into a series of disubstituted ureas and carbamates. Effects on ACAT inhibition in vitro and efficacy in vivo. J Med Chem. 1994;37(12):1810-1822.

[3]. Drecktrah D, et al. Inhibition of a Golgi complex lysophospholipid acyltransferase induces membrane tubule formation and retrograde trafficking. Mol Biol Cell. 2003;14(8):3459-3469.

[4]. Krause BR, et al. In vivo evidence that the lipid-regulating activity of the ACAT inhibitor CI-976 in rats is due to inhibition of both intestinal and liver ACAT. J Lipid Res. 1993;34(2):279-294.

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