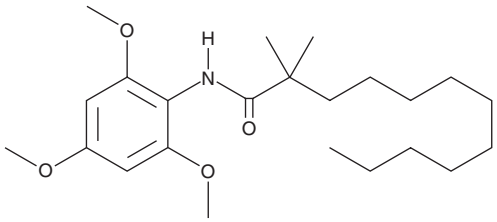
PRODUCT INFORMATION

CI-976

Item No. 17235

CAS Registry No.:	114289-47-3
Formal Name:	2,2-dimethyl-N-(2,4,6-trimethoxyphenyl)-dodecanamide
Synonym:	PD 128042
MF:	$C_{23}H_{39}NO_4$
FW:	393.6
Purity:	≥95%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CI-976 is supplied as a crystalline solid. A stock solution may be made by dissolving the CI-976 in the solvent of choice, which should be purged with an inert gas. CI-976 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of CI-976 in these solvents is approximately 30 mg/ml.

CI-976 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CI-976 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. CI-976 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Acyl-coenzyme A:cholesterol acyltransferase 1 (ACAT-1) is a sterol O-acyltransferase that catalyzes the formation of fatty acid-cholesterol esters, an important step in lipoprotein assembly and dietary cholesterol absorption.[1,2] CI-976 is a potent, selective inhibitor of ACAT-1 (IC50 = 73 nM).[3,4] It is orally bioavailable and decreases plasma total cholesterol, very low density lipoprotein (VLDL) cholesterol, LDL cholesterol, apolipoprotein B, liver cholesteryl esters, and VLDL and LDL cholesteryl ester content in rabbits given a diet that induces hypercholesterolemia.[5] CI-976 also diminishes atherosclerotic activity in hypercholesterolemic rabbits.[6]

References

1. Buhman, K.K., Chen, H.C., and Farese, R.V., Jr. The enzymes of neutral lipid synthesis. *J. Biol. Chem.* **276(44)**, 40369-40372 (2001).
2. Shi, Y. and Burn, P. Lipid metabolic enzymes: Emerging drug targets for the treatment of obesity. *Nat. Rev. Drug Discov.* **3(8)**, 695-710 (2004).
3. Field, F.J., Albright, E., and Mathur, S. Inhibition of acylcoenzyme A: cholesterol acyltransferase activity by PD128O42: Effect on cholesterol metabolism and secretion in CaCo-2 cells. *Lipids* **26(1)**, 1-8 (1991).
4. O'Brien, P.M., Sliskovic, D.R., Blankley, C.J., *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.* **37(12)**, 1810-1822 (1994).
5. Krause, B.R., Pape, M.E., Kieft, K., *et al.* ACAT inhibition decreases LDL cholesterol in rabbits fed a cholesterol-free diet. *Arterioscler. Thromb.* **14(4)**, 598-604 (1994).
6. Bocan, T.M.A., Mueller, S.B., Uhlendorf, P.D., *et al.* Comparison of CI-976, an ACAT inhibitor, and selected lipid-lowering agents for antiatherosclerotic activity in iliac-femoral and thoracic aortic lesions. *Arterioscler. Thromb.* **11(6)**, 1830-1843 (1991).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM