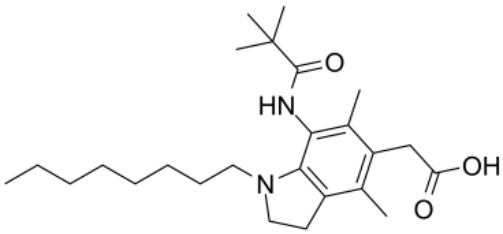
Product Data Sheet

Product Name: Pactimibe
Cat. No.: GC61631

Chemical Properties

Cas. No.	189198-30-9		
SMILES	O=C(O)CC1=C(C)C2=C(N(CCCCCCCC)CC2)C(NC(C(C)(C)C)=O)=C1C		
Formula	$C_{25}H_{40}N_2O_3$	M.Wt	416.6
Solubility	DMSO: 200 mg/mL (480.08 mM)	Storage	4°C, away from moisture
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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			



Background

Pactimibe (CS-505 free base) is a dual ACAT1/2 inhibitor with IC50s of 4.9 μM and 3.0 μM, respectively. Pactimibe (CS-505 free base) inhibits ACAT with IC50s of 2.0 μM, 2.7 μM, 4.7 μM in the liver, macrophages and THP-1 cells, respectively[1]. Pactimibe (CS-505 free base) noncompetitively inhibits oleoyl-CoA with a Ki value of 5.6 μM. Moreover, Pactimibe (CS-505 free base) obviously inhibits cholesteryl ester formation with an IC50 of 6.7 μM. Pactimibe (CS-505 free base) possesses

anti-atherosclerotic potential with lowering plasma cholesterol activity[2].

Pactimibe (CS-505 free base) induces moderate ACAT inhibition in monocyte-derived macrophages, leading to the suppression of foam cell formation[2].

Pactimibe (CS-505 free base; 60 and 200 mg/kg/day; oral gavage; twice a day; 12 weeks) induces an inhibition for ACAT-1 and ACAT-2, causing a reduction of plasma cholesterol but no influence on macrophage- or collagen-positive areas[3]. Animal Model: Male C57BL/6J ApoE-/- mice aged 8-week-old[3]

[1]. Naoki Terasaka, et al. ACAT inhibitor pactimibe sulfate (CS-505) reduces and stabilizes atherosclerotic lesions by cholesterol-lowering and direct effects in apolipoprotein E-deficient mice. Atherosclerosis. 2007 Feb;190(2):239-47. [2]. Ken Kitayama, et al. Importance of acyl-coenzyme A:cholesterol acyltransferase 1/2 dual inhibition for anti-atherosclerotic potency of pactimibe. Eur J Pharmacol. 2006 Jul 1;540(1-3):121-30. [3]. Yasunobu Yoshinaka, et al. A selective ACAT-1 inhibitor, K-604, stimulates collagen production in cultured smooth muscle cells and alters plaque phenotype in apolipoprotein E-knockout mice. Atherosclerosis. 2010 Nov;213(1):85-91.

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