Pactimibe sulfate

Cat. No.: HY-100401A

CAS No.: 608510-47-0

Molecular Formula: $C_{25}H_{40}N_2O_3 \cdot {}_1/_2H_2O_4S$

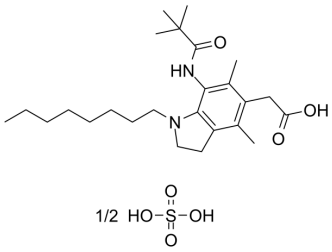
Molecular Weight: 465.65

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (257.70 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1475 mL	10.7377 mL	21.4754 mL
5 mM	0.4295 mL	2.1475 mL	4.2951 mL
10 mM	0.2148 mL	1.0738 mL	2.1475 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 6 mg/mL (12.89 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6 mg/mL (12.89 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6 mg/mL (12.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pactimibe sulfate (CS-505) is a dual ACAT1/2 inhibitor with IC_{50}s of 4.9 μM and 3.0 μM, respectively. Pactimibe sulfate (CS-505) inhibits ACAT with IC_{50}s of 2.0 μM, 2.7 μM, 4.7 μM in the liver, macrophages and THP-1 cells, respectively[1]. Pactimibe sulfate (CS-505) noncompetitively inhibits oleoyl-CoA with a K_i value of 5.6 μM. Moreover, Pactimibe sulfate (CS-505) obviously inhibits cholesteryl ester formation with an IC_{50} of 6.7 μM. Pactimibe sulfate (CS-505) possesses anti-atherosclerotic potential with lowering plasma cholesterol activity[2].

IC_{50} & Target				
	ACAT1	ACAT2	ACAT	ACAT
	4.9 μM (IC_{50})	3.0 μM (IC_{50})	2 μM (IC_{50}, in the liver)	2.7 μM (IC_{50}, in

				macrophages)
	ACAT 4.7 μM (IC_{50}, in THP-1 cells)	oleoyl-CoA 5.6 μM (Ki)	cholesteryl ester formation 6.7 μM (IC_{50})	

In Vitro

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

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

In Vivo

Pactimibe sulfate (CS-505; 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].

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

Animal Model:	Male C57BL/6J $ApoE^{-/-}$ mice aged 8-week-old[3]
Dosage:	60 and 200 mg/kg/day
Administration:	Oral gavage; twice a day; 12 weeks
Result:	Decreased plasma cholesterol levels by 39% and 74% at the administration of 60 and 200 mg/kg/day.

REFERENCES

[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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