Pactimibe sulfate

Cat. No.: HY-100401A

CAS No.: 608510-47-0

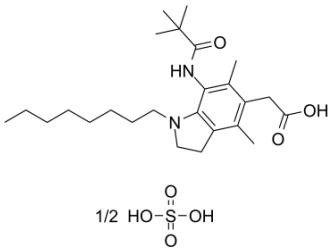
Molecular Formula: $C_{25}H_{40}N_2O_{3\cdot1}/_2H_2O_4S$

Molecular Weight: 465.65

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



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 4.9 μM (IC_{50})	ACAT2 3.0 μM (IC_{50})	ACAT 2 μM (IC_{50}, in the liver)	ACAT 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.

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