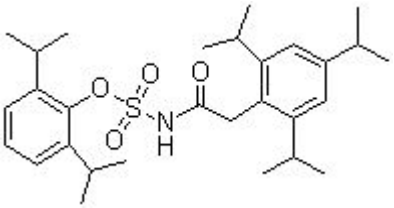
Product Introduction

Avasimibe

Avasimibe inhibits **ACAT** with **IC50** of 3.3 μM, also inhibits human P450 isoenzymes CYP2C9, CYP1A2 and CYP2C19 with IC50 of 2.9 μM, 13.9 μM and 26.5 μM,

Technical Data:

Molecular Weight (MW):	501.72	
Formula:	$C_{29}H_{43}NO_4S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol 8 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	166518-60-1	

Biological Activity

Avasimibe at concentration of 1μg/mL causes reduction of Total cholesterol (TC) and Esterified cholesterol (EC) through inhibiting LDL binding and decreasing scavenger receptor numbers during foam cell formation in human monocyte-derived macrophages (HMMs). Avasimibe at concentration of 2μg/mL enhances cholesterol efflux from established HMM foam cells preincubating with 10μg/ml LDL. [1] Avasimibe inhibits Lipoprotein(a) accumulation in the culture media of primary monkey hepatocyte in a dose-dependent manner with 11.9% -31.3% inhibition, the change is mainly associated with decreased

ApoA. [2] Avasimibe incubating at concentration of 10 nM, 1 μM, and 10 μM for 24 hours in HepG2 cells reduce ApoB secretion into media by 25%, 27%, and 43%, respectively. Avasimibe decreases ApoB secretion by enhanced intracellular degradation of ApoB rather than decreased synthesis of ApoB. [3] Avasimibe inhibits ACTC with IC50 of 3.3 μM in IC-21 macrophages with consideration of the total inhibitor concentration in the assay sample. [4] Avasimibe inhibits human P450 isoenzymes CYP2C9, CYP1A2 and CYP2C19 with IC50 of 2.9 μM, 13.9 μM and 26.5 μM, respectively. [5] Avasimibe inhibits ACAT-1 expression and cholesterol ester synthesis in glioma cell lines. Avasimibe inhibits the growth of the glioma cells by inducing cell cycle arrest and apoptosis due to caspase-8 and caspase-3 activation. [6]

Avasimibe significantly reduces Lipoprotein(a) and total cholesterol levels in nine healthy male monkeys with a normal chow diet orally treated with CI-1011 at 30 mg/kg per day for 3 weeks, Lipoprotein(a) and total cholesterol levels reduce to 68 and 73% of control levels, respectively. Avasimibe decreases total cholesterol mainly due to reduction of low density lipoprotein (LDL). [2] Avasimibe decreases amyloid plaque load in the cortex and hippocampus and reduces the levels of insoluble Abeta40 and Abeta42 and C-terminal fragments of amyloid precursor protein (APP) in brain extracts in young human APP transgenic mice. Avasimibe reduces diffuse amyloid plaques by suppression of astrogliosis and enhanced microglial activation in aged human APP transgenic mice. [7]

References

[1] Lee HT, et al. J Med Chem, 1996, 39(26), 5031-5034.

[2] Ramharack R, et al. Atherosclerosis, 1998, 136(1), 79-87.

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[4] Rodriguez A, et al. Atherosclerosis, 2002, 161(1), 45-54.

[5] Castillo U, et al. FEMS Microbiol Lett, 2003, 224(2), 183-190.

[6] Bemlih S, et al. Cancer Biol Ther, 2010, 9(12), 1025-1032.

[7] Huttunen HJ, et al. J Neuropathol Exp Neurol, 2010, 69(8), 777-788.

[8] Llaver 鞣 s G, et al. Eur J Pharmacol, 2002, 451(1), 11-17.

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