Product Data Sheet

Product Name: Avasimibe
Cat. No.: GC10999

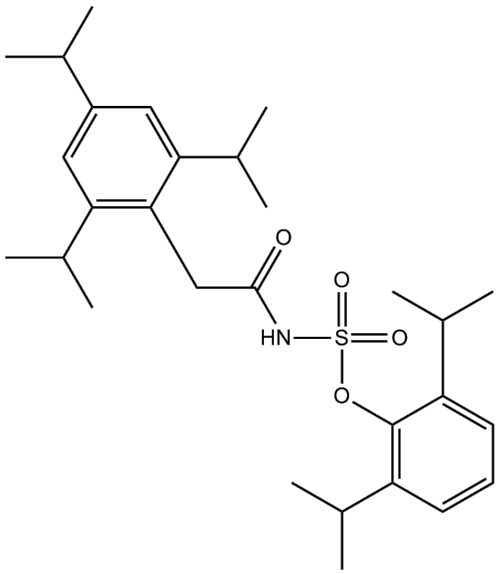
Chemical Properties

Cas. No.	166518-60-1		
Chemical Name	[2,6-di(propan-2-yl)phenyl] N-[2-[2,4,6-tri(propan-2-yl)phenyl]acetyl]sulfamate		
SMILES	CC(C)C1=C(C(=CC=C1)C(C)C)OS(=O)(=O)NC(=O)CC2=C(C=C(C=C2C(C)C)C(C)C)C(C)C		
Formula	$C_{29}H_{43}NO_4S$	M.Wt	501.72
Solubility	100mg/ml in DMSO(Need ultrasonic), ≥ 10.26 mg/mL in EtOH with ultrasonic	Storage	Store at -20°C
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.		

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Structure



Protocol

Cell experiment [1]:

Cell lines	T24 cells
Preparation Method	The T24 cells grew in RPMI-1640 medium with 10% fetal bovine serum inside a 37ºC incubator under 5% CO_2 conditions. Each well of the 96-well plate received 200μL of medium containing 3,000 cells before being treated with Avasimibe at concentrations of 0, 10 and 20μmol/L. Following a treatment period of 48 hours with Avasimibe, add 20μL of 5mg/mL MTT solution. The medium was taken out of the 96-well plate following a 4-hour incubation and then 150μL of DMSO was added to each well. Place the shaker device in operation for 10 minutes with gentle motion until the formazan precipitate fully dissolves. The absorbance of each well at 570nm was measured using a microplate reader in order to determine cell viability.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Reaction Conditions	0, 10 and 20μmol/L; 48h
Applications	Avasimibe significantly inhibited the viability of T24 cells in a dose-dependent manner.

Animal experiment []:

Animal models	Female BALB/c-nu nude mice
Preparation Method	The experiment involved six-week-old female BALB/c-nu nude mice with a body weight range of 15-18g. Implant U87 cells at a concentration of 1×10^7cells per mouse into the right flank area of each mouse. After 14 days, the mice with a minimum tumor volume of 100mm^3 were randomly assigned to three experimental groups of six mice each. The mice received daily intraperitoneal injections with 30mg/kg Avasimibe or no treatment for a period of 18 days. The tumor volume was measured using a Vernier caliper and calculated according to the following modified ellipsoid formula: tumor volume (mm^3)= (length)×(width)^2×0.5. The experiment concluded by sacrificing all mice and collecting their tumor tissues for weighing and photographic documentation.
Dosage form	30mg/kg/day for 18 days; i.p.
Applications	Avasimibe treatment significantly inhibited the volume and weight of xenograft tumors in mice without changing the body weight of the mice.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

References:
[1] Peng T, Xiong K, He Z, et al. Acyl-coenzyme A: cholesterol acyltransferase inhibitor avasimibe suppresses tumorigenesis and induces G1-phase cell-cycle arrest by activating PPAR? signaling pathway in bladder cancer[J]. Journal of Cancer, 2024, 15(2): 370.
[2] Liu J, Fu W, Zheng X, et al. Avasimibe exerts anticancer effects on human glioblastoma cells via inducing cell apoptosis and cell cycle arrest[J]. Acta Pharmacologica Sinica, 2021, 42(1): 97-107.

Background

Avasimibe is a selective and oral acyl coenzyme A:cholesterol acyltransferase (ACAT) inhibitor (IC_{50}=12μmol/L)[1]. Avasimibe suppressed CYP2C9 (IC_{50}=2.9μmol/L), CYP1A2 (IC_{50}=13.9μmol/L), and CYP2C19 (IC_{50}=26.5 μμmol/L)[2]. Avasimibe has been used in lipid-lowering research and anti-cancer research[3].

In vitro, Avasimibe demonstrated a dose-dependent suppression of U251 and U87 human glioblastoma cell proliferation with IC_{50} values of 20.29μmol/L and 28.27μmol/L, respectively after 48 hours of treatment[4]. When exposed to Avasimibe for 48 hours at 30μmol/L, U251 and U87 human glioblastoma cells showed decreased DNA synthesis together with suppressed cell clone formation[4]. The prostate cancer cells PC-3 and DU 145 showed decreased viability after receiving Avasimibe treatment at 20μmol/L concentration over 72 hours, which led to decreased sterol O-acyltransferase (SOAT) expression levels[5]. Avasimibe treatment at 20μmol/L for 48 hours reduced T24 cell proliferation and migration while increasing intracellular reactive oxygen species (ROS) production, accompanied by the upregulation of the expressions of ROS metabolism-related proteins SOD2 and catalase[6].

In vivo, Avasimibe treatment (25mg/kg/day; 28 days) via oral administration to miniature pigs fed a fat- and cholesterol-containing diet significantly decreased the secretion of hepatic apolipoprotein (apo) B containing lipoproteins into plasma[7]. In the asthma mouse model, intratracheal injection of Avasimibe at 20mg/kg significantly reduced the production of IL-4 and IL-5 in bronchoalveolar lavage fluid (BALF) and total IgE in serum, resulting in reduced mucus secretion in the airway epithelium of mice, decreased goblet cells and basal cells[8].

Product Data Sheet

References:

[1] Llaverías G, Laguna J C, Alegret M. Pharmacology of the AC AT Inhibitor Avasimibe (CI-1011)[J]. Cardiovascular drug reviews, 2003, 21(1): 33-50.
[2] Sahi J, Stern R H, Milad M A, et al. Effects of avasimibe on cytochrome P450 2C9 expression in vitro and in vivo[J]. Drug metabolism and disposition, 2004, 32(12): 1370-1376.
[3] Tardif J C, Grégoire J, L'Allier P L, et al. Effects of the acyl coenzyme A: cholesterol acyltransferase inhibitor avasimibe on human atherosclerotic lesions[J]. Circulation, 2004, 110(21): 3372-3377.
[4] Liu J, Fu W, Zheng X, et al. Avasimibe exerts anticancer effects on human glioblastoma cells via inducing cell apoptosis and cell cycle arrest[J]. Acta Pharmacologica Sinica, 2021, 42(1): 97-107.
[5] Xiong K, Wang G, Peng T, et al. The cholesterol esterification inhibitor avasimibe suppresses tumour proliferation and metastasis via the E2F-1 signalling pathway in prostate cancer[J]. Cancer Cell International, 2021, 21: 1-13.
[6] Peng T, Xiong K, He Z, et al. Acyl-coenzyme A: cholesterol acyltransferase inhibitor avasimibe suppresses tumorigenesis and induces G1-phase cell-cycle arrest by activating PPARγ signaling pathway in bladder cancer[J]. Journal of Cancer, 2024, 15(2): 370.
[7] Burnett J R, Telford D E, Barrett P H R, et al. The ACAT inhibitor avasimibe increases the fractional clearance rate of postprandial triglyceride-rich lipoproteins in miniature pigs[J]. Biochimica et Biophysica Acta (BBA)-Molecular and Cell Biology of Lipids, 2005, 1738(1-3): 10-18.
[8] Zhou Z, Liang S, Zhou Z, et al. Avasimibe alleviates disruption of the airway epithelial barrier by suppressing the wnt/β-catenin signaling pathway[J]. Frontiers in Pharmacology, 2022, 13: 795934.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA