Avasimibe

Cat. No.: HY-13215

CAS No.: 166518-60-1

Molecular Formula: $C_{29}H_{43}NO_4S$

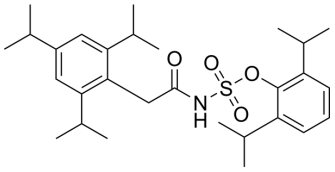
Molecular Weight: 501.72

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (199.31 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9931 mL	9.9657 mL	19.9314 mL
	5 mM	0.3986 mL	1.9931 mL	3.9863 mL
	10 mM	0.1993 mL	0.9966 mL	1.9931 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: 7.5 mg/mL (14.95 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 7.5 mg/mL (14.95 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 7.5 mg/mL (14.95 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Avasimibe (CI-1011; PD-148515) is an orally active acyl coenzyme A-cholesterol acyltransferase (ACAT; also called SOAT)) inhibitor with IC_{50}s of 24 and 9.2 μM for ACAT1 and ACAT2, respectively[1]. Avasimibe can be used for the research of prostate cancer[2].

IC_{50} & Target

ACAT1	ACAT2
24 μM (IC_{50})	9.2 μM (IC_{50})

In Vitro

Avasimibe (0, 0.25, 5, 10, 20, 40 and 80 μM; for 1, 2, and 3 days) reduces proliferation in the prostate cancer (PCa) cells[2].
?Avasimibe (10 and 20 μM; 48 h) reduces the expression of β-catenin, Vimentin, N-cadherin, Snail and MMP9, which are tightly associated with epithelial-mesenchymal transition (EMT)[2].
?Avasimibe (10 and 20 μM) trigger cell cycle arrest via the E2F-1 signalling pathway in prostate cancer. Avasimibe induces G1 phase cell cycle arrest of PCa cells[2].
?Avasimibe (10 and 20 μM) inhibits the metastasis of PCa cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	PCa cells (PC-3 and DU 145)
Concentration:	0, 0.25, 5, 10, 20, 40 and 80 μM
Incubation Time:	1, 2, and 3 days
Result:	Dose dependently inhibited PC-3 and DU 145 cell viability.

Western Blot Analysis[2]

Cell Line:	PCa cells (PC-3 and DU 145)
Concentration:	10 and 20 μM
Incubation Time:	48 hours
Result:	Reduced protein levels of EMT-related proteins (β-catenin, Vimentin, N-cadherin, Snail, MMP9 and E-cadherin).

Cell Cycle Analysis[2]

Cell Line:	PCa cells (PC-3 and DU 145)
Concentration:	10 and 20 μM
Incubation Time:	48 hours
Result:	Induced G1 phase cycle arrest and altered the G1 phase-related protein levels in PCa cells.

In Vivo

Avasimibe (30 mg/kg, intraperitoneally injected on alternate days for 7 weeks) suppresses PCa cell growth and metastasis in vivo. Avasimibe has good biocompatibility and low toxicity[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SPF male mice (BALB/c-nude, 4 weeks old) bearing PCa cells[2]
Dosage:	30 mg/kg
Administration:	Intraperitoneally injected for 7 weeks
Result:	Reduced tumour volume compared with that of the control group. Inhibited PCa growth and migration in vivo.

CUSTOMER VALIDATION

- Redox Biol. 2023 Jun.

- Metabolism. 2021 Aug 6;154861.
- Cell Death Dis. 2021 Mar 10;12(3):254.
- Biomed Pharmacother. 2020 Oct;130:110508.
- Cancer Cell Int. 2021 Aug 30;21(1):461.

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REFERENCES

[1]. Taichi Ohshiro,et al. Pyripyropene A, an acyl-coenzyme A:cholesterol acyltransferase 2-selective inhibitor, attenuates hypercholesterolemia and atherosclerosis in murine models of hyperlipidemia. Arterioscler Thromb Vasc Biol. 2011 May;31(5):1108-15.

[2]. Kangping Xiong, et al. The cholesterol esterification inhibitor avasimibe suppresses tumour proliferation and metastasis via the E2F-1 signalling pathway in prostate cancer.Cancer Cell Int. 2021 Aug 30;21(1):461.

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