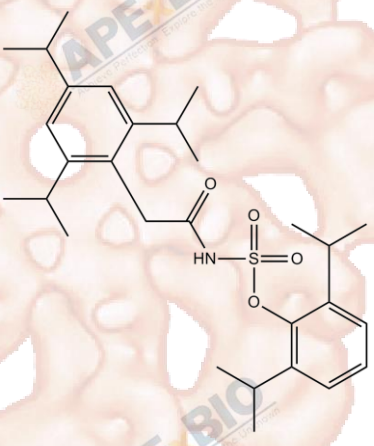
Product Data Sheet

Avasimibe



Cat. No.:	A4318
CAS No.:	166518-60-1
Formula:	C29H43NO4S
M.Wt:	501.72
Synonyms:	
Target:	Metabolism
Pathway:	P450
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾25.09 mg/mL in DMSO; insoluble in H2O; ⩾10.26 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	ACAT inhibitor,orally bioavailable
IC_{50} & Target	3.3 μM (ACAT)

In Vitro

Cell Viability Assay

Cell Line:	HepG2 cells; rat hepatocytes; THP-1 cells
Preparation method：	The solubility of this compound in DMSO is >25.1mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	0.2 μM-10 μM; 24 h
	Applications:	In THP-1 cells, avasimibe (0-0.2 μM) did not reduce intracellular cholesteryl ester content in a sequential incubation system. Incubations with avasimibe (0-0.2 μM) during the process of lipid loading (simultaneous incubation with avasimibe and acetyl-LDL) caused a concentration-dependent reduction in cellular cholesteryl ester content, which reached 70% at 0.2 μM. Incubation with avasimibe (10 nM - 10 μM) for 24 h caused a significant dose-dependent reduction in apo B 100 secretion from HepG2 cells. Overnight incubation of HepG2 cells with 10 μM avasimibe suppressed apo B synthesis, as well as the synthesis of other hepa-to-specific proteins. Avasimibe (3 μM) caused a 2.9-fold increase in total bile acid synthesis in rat hepatocytes.
In Vivo	**Animal experiment**	
	Animal models:	Rats, Mice
	Dosage form:	Oral; 1, 10, or 30 mg/kg/day; 2 weeks
	Applications:	In mice, treatment with avasimibe significantly reduced the number of lesions containing accumulations of free cholesterol. In cholesterol-fed rats treated with multiple oral doses of the compound, avasimibe significantly reduced plasma total cholesterol and increased HDL-cholesterol. Avasimibe (0.01% in the diet for 1 week) reduced plasma cholesterol levels in rats fed a high fat-high cholesterol diet, supplemented or not with 0.5% cholate, by 52 to 71%. Treatment with avasimibe (3–30 mg/kg/day) for 8–10 weeks lowered plasma total cholesterol, VLDL-cholesterol, LDL-cholesterol, and triglyceride levels.In chow-fed rats, avasimibe (3–30 mg/kg) reduced plasma cholesterol levels by 44 to 66%.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Llaverías G, Laguna JC, Alegret M. Pharmacology of the ACAT inhibitor avasimibe (CI-1011). 2003 Spring;21(1):33-50.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com