

Product Specification Sheet

Product Name: CI-1011 (Avasimibe)

Catalog Number: C2410

Technical information:

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

CAS #: 166518-60-1

Molecular Weight: 501.72

Purity: > 98%

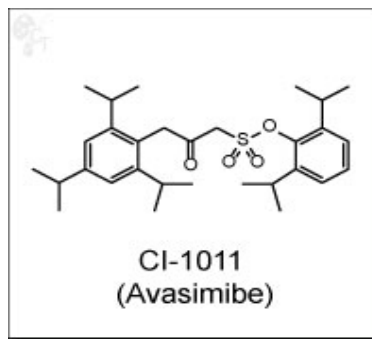
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 2,6-diisopropylphenyl 2-(2,4,6-triisopropylphenyl)acetylsulfamate

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.199mL of DMSO for each mg of CI-1011 (Avasimibe)
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: CI-1011 (Avasimibe) is an orally-available acylsulfamic acid inhibitor of Acyl Coenzyme A cholesterol acyltransferase (ACAT), an enzyme that catalyzes the esterification of cholesterol. Inhibition of ACAT by CI-1011 presumably operates by modulating apoB synthesis and secretion, thereby lowering plasma concentration of apoB-containing lipoproteins. [3] CI-1011 inhibits ACAT at 3.3 μ M, though it has been shown to be more potent dependent on microsomes concentration. [1] CI-1011 also inhibits CYP450 enzymes 2C9, 1A2, and 2C19 at 2.9 μ M, 13.9 μ M, and 26.5 μ M, respectively. [2] CI-1011 has been shown to be a PXR activator and has CYP3A4 induction profile approximately 10 fold more potent than rifampin. [2]

CI-1011 reduces plasma triglyceride levels in chow-fed rats, cholesterol-fed rats, sucrose-fed rats, and hamsters. [1] In addition to inhibiting lipid accumulation in macrophages, and thus reducing atherosclerotic lesion occurrence, CI-1011 also has plaque-stabilizing properties by inhibiting MMP expression and activity.

- Reference:**
1. Llaverias et al., Pharmacology of the ACAT inhibitor avasimibe (CI-1011). Cardiovascular Drug Rev. 2006, 21(1), 33-50. Pubmed ID: 12595916
 2. Sahi et al., Effects of avasimibe on cytochrome P450 2C9 expression in vitro and in vivo. Drug Metabolism and Disposition, 2004, 32(12), 1370-1376. Pubmed ID: 15333513
 3. Burnett et al., Inhibition of ACAT by avasimibe decreases both VLDL and LDL apolipoprotein B production in miniature pigs. J. Lipid Res. 1999. 40. 1317-1327. Pubmed ID: 10393217

To reorder: <http://www.cellagentech.com/CI-1011-Avasimibe/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.