Product Data Sheet

Chemical Properties

Product Name: Avasimibe
Cas No.: 166518-60-1
M.Wt: 501.72
Formula: C29H43NO4S

Chemical Name: [2,6-di(propan-2-yl)phenyl]N-[2-[2,4,6-tri(propan-2-yl)phenyl]acetyl]sulfamate
Canonical SMILES: CC(C)C1=C(C(=CC=C1)C(C)OS(=O)(=O)NC(=O)CC2=C(C=C(C=C2C(C(C)C(C)C(C)C(C)C(C)C(C)C(C)
Solubility: ≥25.1 mg/mL in DMSO, ≥10.26 mg/mL in EtOH with ultrasonic, insoluble in H2O
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.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Metabolism
Pathways: P450
Description: Avasimibe is an orally bioavailable inhibitor of the acyl coenzyme A: cholesterol acyltransferase (ACAT) with IC50 value of 60nM [1].
Avasimibe is developed from a strategy to design ACAT inhibitors with improved bioavailability. It also has solution stability at acidic pH. In the in vitro assay, the IC50 value is dependent on the concentration of microsomes, the amount of membrane available for adsorption as well as the presence of BSA. The treatment of avasimibe during the process of lipid loading causes a concentration-dependent reduction in cellular cholesteryl ester content. This reduction is not accompanied by the accumulation of intracellular free cholesterol, indicating a better safety profile for avasimibe than other ACAT inhibitors. Avasimibe can also reduce the synthesis and secretion of Apo B 100 (a component of VLDL) in HepG2 cells. In addition, avasimibe can increase the total bile acid synthesis in rat hepatocytes at the concentration of 3μM [1]. Apart from the antiatherosclerotic efficacy, avasimibe is also found to take part in the modulation of APP trafficking. It can delay and reduce the maturation of APP, limiting the availability of APP holoprotein for Aβ-generatiion [2].

Reference:

Protocol

Cell experiment:

Cell lines 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 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.

Reacting conditions

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 hepato-to-specific proteins. Avasimibe (3 μM) caused a 2.9-fold increase in total bile acid synthesis in rat hepatocytes.
### Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Rats, Mice</th>
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<tbody>
<tr>
<td>Dosage form</td>
<td>Oral; 1, 10, or 30 mg/kg/day; 2 weeks</td>
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### 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.

### Reference:


### 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. Short-term 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.