Chemical Properties

<table>
<thead>
<tr>
<th><strong>Product Name:</strong></th>
<th>Ezetimibe</th>
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</thead>
<tbody>
<tr>
<td><strong>Cas No.:</strong></td>
<td>163222-33-1</td>
</tr>
<tr>
<td><strong>M.Wt:</strong></td>
<td>409.4</td>
</tr>
<tr>
<td><strong>Formula:</strong></td>
<td>C24H21F2NO3</td>
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</tbody>
</table>

**Chemical Name:** (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)azetidin-2-one

**Canonical SMILES:** C1=CC=CC1C2C(C(=O)N2C3=CC=C(C=C3)F)CCC(C4=CC=C(C=C4)F)O)O

**Solubility:** >20.5mg/mL in DMSO

**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:** Cholesterol absorption

**Description:**
Ezetimibe is a potent and novel inhibitor of cholesterol absorption [1]. Cholesterol is a lipid molecule and is required to build and maintain membranes structural integrity and fluidity. Also, it serves as a precursor of vitamin D, bile acids and steroid hormones. In differentiated Caco-2 cells incubated with a carotenoid (1 μM), ezetimibe (10 mg/L) inhibited carotenoid transport with 50% inhibition for α-carotene and β-carotene. Also, it inhibited the
transport of β-cryptoxanthin, lycopene and lutein:zeaxanthin(1:1). At the same time, ezetimibe inhibited cholesterol transport by 31%. Ezetimibe decreased the expression of the surface receptors SR-BI, ATP binding cassette transporter, subfamily A (ABCA1), Niemann-Pick type C1 Like 1 protein (NPC1L1) and retinoid acid receptor (RAR)γ, sterol-regulatory element binding proteins SREBP-1 and SREBP-2, and liver X receptor (LXR)β [3].

In apolipoprotein E knockout (apoE−/−) mice, ezetimibe (3 mg/kg) inhibited cholesterol absorption by 90%. Ezetimibe reduced plasma cholesterol, increased HDL levels, and inhibits the progression of atherosclerosis [1]. In phase III human trials, Ezetimibe (10 mg) significantly reduced the levels of LDL cholesterol, total cholesterol and triglycerides and increased the level of HDL cholesterol [2].

Reference:
[3]. During A, Dawson HD, Harrison EH. Carotenoid transport is decreased and expression of the lipid transporters SR-BI, NPC1L1, and ABCA1 is downregulated in Caco-2 cells treated with ezetimibe. J Nutr, 2005, 135(10): 2305-2312.

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.