

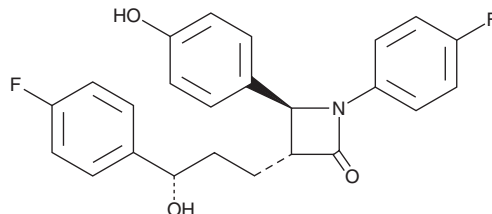
PRODUCT INFORMATION



Ezetimibe

Item No. 16331

CAS Registry No.: 163222-33-1
Formal Name: (3R,4S)-1,4-fluorophenyl-3-[(3S-3-4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone
Synonyms: Ezetrol, SCH 58235
MF: C₂₄H₂₁F₂NO₃
FW: 409.4
Purity: ≥98%
UV/Vis.: λ_{max}: 233 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ezetimibe is supplied as a crystalline solid. A stock solution may be made by dissolving the ezetimibe in the solvent of choice. Ezetimibe is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ezetimibe is approximately 15 mg/ml in DMSO and approximately 20 mg/ml in ethanol and DMF.

Ezetimibe is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ezetimibe should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ezetimibe has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ezetimibe inhibits intestinal cholesterol absorption by preventing cholesterol uptake by the Niemann-Pick C1-like 1 (NPC1L1) protein, a cholesterol transporter located in the apical membrane of enterocytes.^{1,2} Upon oral administration ezetimibe undergoes rapid glucuronidation in the intestine where its glucuronide binds NPC1L1.^{1,2} Ezetimibe exhibits ED₅₀ values of 0.0005-0.05 mg/kg in various animal models, selectively blocking cholesterol absorption without inhibiting pancreatic lipolytic enzyme activities in the intestinal lumen, affecting bile acid micelle solubilization of cholesterol, or interfering with the absorption of triglycerides, fatty acids, or bile acids.^{1,3}

References

1. Wang, D.Q. Regulation of intestinal cholesterol absorption. *Annu. Rev. Physiol.* **69**, 221-248 (2011).
2. Garcia-Calvo, M., Lisnock, J., Bull, H.G., *et al.* The target of ezetimibe is Niemann-Pick C1-like 1 (NPC1L1). *Proc. Natl. Acad. Sci. USA* **102**(23), 8132-8137 (2005).
3. Sudhop, T. and von Bergmann, K. Cholesterol absorption inhibitors for the treatment of hypercholesterolaemia. *Drugs* **62**(16), 2333-2347 (2002).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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