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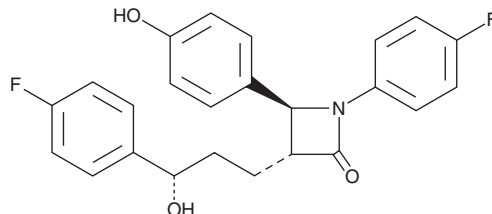
PRODUCT INFORMATION



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: ≥4 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, which should be purged with an inert gas. Ezetimibe is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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 is an inhibitor of NPC1-like intracellular cholesterol transporter 1 (NPC1L1; K_i = 220 nM), a cholesterol transporter found in the apical membrane of enterocytes.¹ It reduces cholesterol absorption in hamsters fed a high-cholesterol diet (ED₅₀ = 0.04 mg/kg per day).² Ezetimibe (346 nM) also reduces the levels of helper T cells and memory T cells isolated from the blood of heart transplant recipients.³ Formulations containing ezetimibe have been used in the treatment of primary hypercholesterolemia and mixed hyperlipidemia.

References

1. 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).
2. Rosenblum, S.B., Huynh, T., Afonso, A., *et al.* Discovery of 1-(4-fluorophenyl)-(3R)-[3-(4-fluorophenyl)-(3S)-hydroxypropyl]-(4S)-(4-hydroxyphenyl)-2-azetidinone (SCH 58235): A designed, potent, orally active inhibitor of cholesterol absorption. *J. Med. Chem.* **41**(6), 973-980 (1998).
3. Shaw, S.M., Najam, O., Khan, U., *et al.* Ezetimibe and atorvastatin both immunoregulate CD4⁺ T cells from cardiac transplant recipients *in vitro*. *Transpl. Immunol.* **21**(3), 179-182 (2009).

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.

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