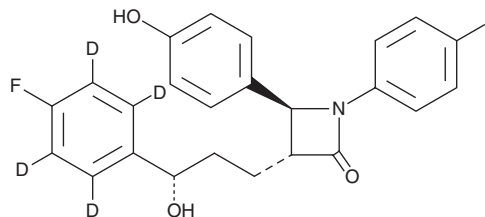


# PRODUCT INFORMATION



## Ezetimibe-d<sub>4</sub> Item No. 25044

**CAS Registry No.:** 1093659-89-2  
**Formal Name:** (3R,4S)-1-(4-fluorophenyl)-2,3,5,6-d<sub>4</sub>)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone  
**Synonym:** Ezetrol-d<sub>4</sub>  
**MF:** C<sub>24</sub>H<sub>17</sub>D<sub>4</sub>F<sub>2</sub>NO<sub>3</sub>  
**FW:** 413.5  
**Chemical Purity:** ≥98% (Ezetimibe)  
**Deuterium Incorporation:** ≥99% deuterated forms (d<sub>1</sub>-d<sub>4</sub>); ≤1% d<sub>0</sub>  
**Supplied as:** A 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-d<sub>4</sub> is intended for use as an internal standard for the quantification of ezetimibe (Item No. 16331) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Ezetimibe-d<sub>4</sub> is supplied as a solid. A stock solution may be made by dissolving the ezetimibe-d<sub>4</sub> in the solvent of choice. Ezetimibe-d<sub>4</sub> is soluble in organic solvents such as methanol, ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas.

### 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.<sup>1,2</sup> Upon oral administration ezetimibe undergoes rapid glucuronidation in the intestine where its glucuronide binds NPC1L1.<sup>1,2</sup> Ezetimibe exhibits ED<sub>50</sub> 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.<sup>2,3</sup>

### References

1. Wang, D.Q. Regulation of intestinal cholesterol absorption. *Annu. Rev. Physiol.* **69**, 221-248 (2007).
2. Garcia-Calvo, M., Lisnock, J., Bull, H.G., *et al.* The target of ezetimibe is Niemann-Pick C1-like 1 (NPC1L1). *Proc. Nat. Acad. Sci. U.S.A.* **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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