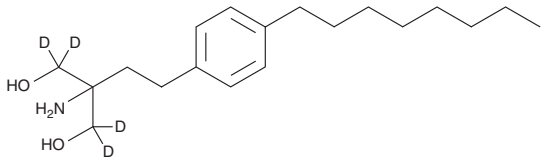
PRODUCT INFORMATION

Fingolimod-d_4

Item No. 25037

CAS Registry No.:	1346747-38-3
Formal Name:	2-amino-2-(4-octylphenethyl)propane-1,1,3,3-d_4-1,3-diol
MF:	$C_{19}H_{29}D_4NO_2$
FW:	311.5
Chemical Purity:	≥98% (Fingolimod)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_4); ≤1% d_0
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

Fingolimod-d_4 is intended for use as an internal standard for the quantification of fingolimod (Item Nos. 11975 | 10006292) 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).

Fingolimod-d_4 is supplied as a solid. A stock solution may be made by dissolving the fingolimod-d_4 in the solvent of choice. Fingolimod-d_4 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of fingolimod-d_4 in these solvents is approximately 5, 10, and 20 mg/ml, respectively.

Description

Fingolimod is an immune modulator, a prodrug form of the sphingosine-1-phosphate (S1P) receptor agonist FTY720 phosphate (Item No. 10008639), and a derivative of myriocin (Item No. 63150).[1] It is converted by sphingosine kinase (SPHK) to FTY720 phosphate, which then acts as an agonist of S1P receptor 1 ($S1P_1$), $S1P_3$, $S1P_4$, and $S1P_5$, subsequently acting as a functional antagonist by inducing receptor internalization.[1-3] Fingolimod (1 mg/kg) decreases the number of circulating lymphocytes in mice.[4] It increases skin allograft survival in rats when administered at doses ranging from 0.3 to 3 mg/kg.[5] Fingolimod (0.3 mg/kg) prevents disease development in a rat model of experimental autoimmune encephalomyelitis (EAE).[1] It also inhibits S1P lyase when used at concentrations ranging from 0.3 to 30 µM.[4] Formulations containing fingolimod have been used in the treatment of multiple sclerosis.

References

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2. Forrest, M., Sun, S.Y., Hajdu, R., *et al. J. Pharmacol. Exp. Ther.* **309(2)**, 758-768 (2004).
3. Brinkmann, V., Billich, A., Baumruker, T., *et al. Nat. Rev. Drug Discov.* **9(11)**, 883-897 (2010).
4. Bandhuvula, P., Tam, Y.Y., Oskouian, B., *et al. J. Biol. Chem.* **280(40)**, 33697-33700 (2005).
5. Shimizu, H., Takahashi, M., Kaneko, T., *et al. Circulation* **111(2)**, 222-229 (2005).

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