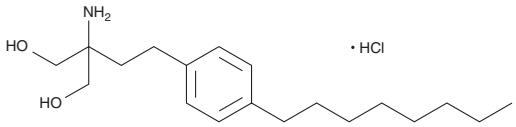
PRODUCT INFORMATION

Fingolimod (hydrochloride)

Item No. 10006292

CAS Registry No.: 162359-56-0
Formal Name: 2-amino-2-[2-(4-octylphenyl)ethyl]-1,3-propanediol, monohydrochloride
Synonym: FTY720
MF: $C_{19}H_{33}NO_2$ • HCl
FW: 343.9
Purity: ≥98%
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

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

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

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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM