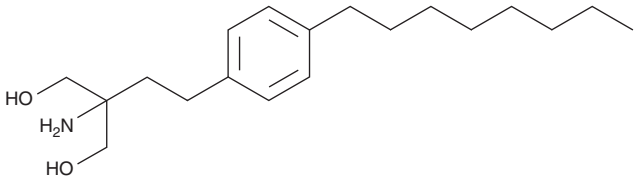
PRODUCT INFORMATION

Fingolimod

Item No. 11975

CAS Registry No.: 162359-55-9
Formal Name: 2-amino-2-[2-(4-octylphenyl)ethyl]-1,3-propanediol
Synonym: FTY720
MF: $C_{19}H_{33}NO_2$
FW: 307.5
Purity: ≥98%
UV/Vis.: λ_{max}: 218 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

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

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.* Immune cell regulation and cardiovascular effects of sphingosine 1-phosphate receptor agonists in rodents are mediated via distinct receptor subtypes. *J. Pharmacol. Exp. Ther.* **309(2)**, 758-768 (2004).
3. Stanton, B.Z. and Peng, L.F. Small-molecule modulators of the Sonic Hedgehog signaling pathway. *Mol. Biosyst.* **6(1)**, 44-54 (2010).
4. Bandhuvula, P., Tam, Y.Y., Oskouian, B., *et al.* The immune modulator FTY720 inhibits sphingosine-1-phosphate lyase activity. *J. Biol. Chem.* **280(40)**, 33697-33700 (2005).
5. Shimizu, H., Takahashi, M., Kaneko, T., *et al.* KRP-203, a novel synthetic immunosuppressant, prolongs graft survival and attenuates chronic rejection in rat skin and heart allografts. *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