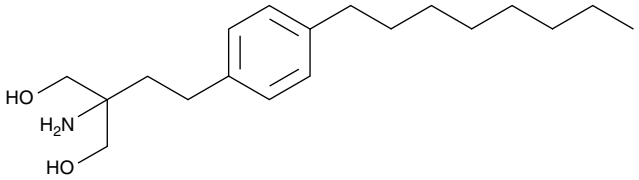
Product Information

Fingolimod

Item No. 11975

CAS Registry No.:	162359-55-9
Formal Name:	2-amino-2-[2-(4-octylphenyl)ethyl]-1,3-propanediol
Synonym:	FTY720 (free base)
MF:	$C_{19}H_{33}NO_2$
FW:	307.5
Purity:	≥98%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 218 nm



Laboratory Procedures

For long term storage, we suggest that fingolimod be stored as supplied at -20°C. It should be stable for at least two years.

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

Fingolimod is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Sphingosine 1-phosphate (S1P) is an extracellular lipid mediator whose major effects are mediated through four of the S1P receptors ($S1P_1$, $S1P_3$, $S1P_4$, and $S1P_5$). $S1P_1$ plays a key role in the immune system, regulating lymphocyte egress from lymphoid tissues into the circulation. S1P receptors have been shown to influence cell proliferation, morphology, and migration and are also expressed on a wide range of cells that are involved in many biological processes relevant to multiple sclerosis (MS).[1] Fingolimod (FTY720 (free base) of Item No. 10006292) is a derivative of the fungal metabolite myriocin, a structural analog of sphingosine. *In vivo*, fingolimod is phosphorylated to form fingolimod-phosphate, which resembles naturally occurring S1P.[2] Fingolimod-phosphate functions as an agonist at $S1P_1$, $S1P_4$, and $S1P_5$ receptors (EC_{50} values of ~0.3-0.6 nM *in vitro*) and at 10-fold higher concentrations at $S1P_3$ receptors (EC_{50} values of ~3 nM) but has no activity at $S1P_2$ receptors.[3] Fingolimod is a first-in-class orally bioavailable compound that has shown efficacy in advanced clinical trials for the treatment of MS through receptor-mediated actions both on the immune system and in the central nervous system.[2] At 0.1-0.3 mg/kg it was shown to be highly effective at inhibiting the development of experimental autoimmune encephalomyelitis, an animal model of human MS, whereas concentrations 10-100-fold higher or in combination with classic immunosuppressants were required to prolong organ graft survival in animals.[3]

References

1. Huwiler, A., Kolter, T., Pfeilschifter, J., *et al.* Physiology and pathophysiology of sphingolipid metabolism and signaling. *Biochim. Biophys. Acta* **1485**, 63-99 (2000).
2. Chun, J. and Hartung, H.P. Mechanism of action of oral fingolimod (FTY720) in multiple sclerosis. *Clin. Neuropharmacol.* **33(2)**, 91-101 (2010).
3. Brinkmann, V., Billich, A., Baumruker, T., *et al.* Fingolimod (FTY720): Discovery and development of an oral drug to treat multiple sclerosis. *Nat. Rev. Drug Discov.* **9(11)**, 883-897 (2010).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/11975

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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Cayman Chemical

Mailing address
1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone
(800) 364-9897
(734) 971-3335

Fax
(734) 971-3640

E-Mail
custserv@caymanchem.com

Web
www.caymanchem.com