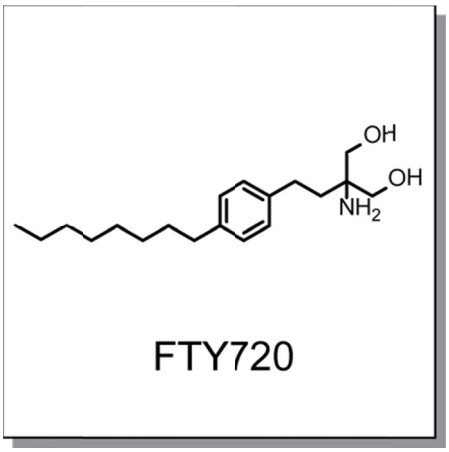
Product Specification Sheet

Product Name: FTY720 / Fingolimod

Catalog Number: C3897-5 (powder)
C3897-5s (10mM in DMSO)

Package Size: 5 mg



Technical information:

Chemical Formula:	$C_{19}H_{33}NO_2$
CAS #:	162359-55-9
Molecular Weight:	307.47
Purity:	>98%
Formulation:	White solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	2-amino-2-(4-octylphenethyl)propane-1,3-diol
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Handling:

- For C3897-5 (powder), add 1.626 mL of DMSO to make 10 mM solution.
- For C3897-5s, before open the vial, centrifuge the vial at 500rpm x 1 min in a 50 mL conical tube to ensure full sample recovery.

Biological Activity:

FTY720, also named Fingolimod (trade name Gilenya), is an Immunomodulating drug approved by FDA in 2010 for treating multiple sclerosis. FTY720 is a derivative of ISP-1 (myriocin), a fungal metabolite of the Chinese herb Iscaria sinclarii as well as a structural analog of sphingosine. It is a novel immune modulator that prolongs allograft transplant survival in numerous models by inhibiting lymphocyte emigration from lymphoid organs. FTY720 is phosphorylated by sphingosine kinase, which then acts as a potent agonist at four of the sphingosine-1-phosphate (S1P) receptors (S1P1, S1P3, S1P4, and S1P5). Down-regulation of S1P1 receptors on T and B lymphocytes by FTY720 results in defective egress of these cells from spleen, lymph nodes, and Peyer's patch. FTY720 also enhances the activity of the sphingosine transporter Abcb1 and the leukotriene C4 transporter Abcc1 and inhibits cytosolic phospholipase A2 activity.

Reference:

1. Volker Brinkmann, et al. Fingolimod (FTY720): discovery and development of an oral drug to treat multiple sclerosis. Nature Reviews Drug Discovery 2010; 9, 883-897.
2. Brinkmann, V. et al. FTY720: Altered lymphocyte traffic results in allograft protection. Transplantation, 2001; 72 764-769.
3. Brinkmann, V. et al. The immune modulator FTY720 targets sphingosine 1-phosphate receptors. J Biol Chem, 2002; 277(24) 21453-21457.
4. Matloubian, M. et al. Lymphocyte egress from thymus and peripheral lymphoid organs is dependent on S1P receptor 1. Nature, 2004; 427

355-360.
5. Honig, S.M. et al. FTY720 stimulates multidrug transporter- and cysteinyl leukotriene-dependent T cell chemotaxis to lymph nodes. J Clin Invest, 2003; 111(5) 627-637.
6. Payne, S.G. et al. The immunosuppressant drug FTY720 inhibits cytosolic phospholipase A2 independently of sphingosine-1-phosphate receptors. Blood, 2007; 109(3) 1077-1085.

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