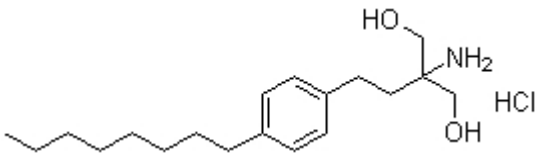
Product Introduction

Fingolimod (FTY720) HCl

Fingolimod (FTY720) is a **S1P** antagonist with **IC50** of 0.033 nM.

Technical Data:

Molecular Weight (MW):	343.9	
Formula:	$C_{19}H_{33}NO_2$.HCl	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 69 mg/mL	
	Water 69 mg/mL	
	Ethanol 69 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	162359-56-0	

Biological Activity

The inhibitory effect of S1P is revered by various concentrations of FTY720, with IC50 effect of 173 nM. In addition, FTY720 (10 nM) alone exerts no effect on the expression of co-stimulatory molecules. FTY720 reverses the increased expression of HLA-I induced by S1P for both the percentages of cells and the MFI, upon comparing the effect of S1P to the effect of combining S1P with FTY720. [1] Medium and high-dose FTY720-P also enhances the levels of TGF-β1. TGF-β1 and Foxp3 mRNA expression are upregulated in the high-dose FTY720-P group. The proliferation of effector T cells is suppressed significantly in the medium and high-dose FTY720-P group at a Treg/Teff cell ratio of 1:1. At a ratio of 1:1, the proliferation of effector

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T cells is also suppressed in the high-dose FTY720 group. [2]

FTY720 is effective in Ph^+ but not Ph^- ALL xenografts using an early disease model. FTY720 produces a significant reduction in disease burden in the Ph^+ ALL xenografts using an early disease model. Ph^+ human ALL xenografts responds to FTY720 with an 80 % reduction in overall disease if treatment has been initiated early on. In contrast, treatment of mice with FTY720 does not result in reduced leukemia compared to controls using four separate human Ph^- ALL xenografts. [3]

References

[1] Rolin J, et al. Cancer Immunol Immunother. 2010, 59(4), 575-586.

[2] Liu Y, et al. Int J Mol Med. 2012, 30(1), 211-219.

[3] Wallington-Beddoe CT, et al. PLoS One. 2012, 7(5), e36429.

[4] Nikolova Z, et al. Transpl Immunol. 2001, 8(4), 267-277.

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