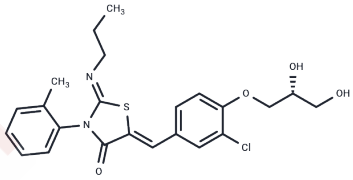
Data Sheet (Cat.No.T3258)

TargetMol

Ponesimod

Chemical Properties



CAS No. : 854107-55-4

Formula: C23H25ClN2O4S

Molecular Weight: 460.97

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Ponesimod (ACT-128800) is an orally available sphingosine-1-phosphate receptor 1 (S1PR1, S1P1) agonist with potential immunomodulating activity.
Targets(IC50)	LPL Receptor,S1P Receptor
In vitro	Relative to the potency of S1P, the potency of ponesimod at human recombinant receptors was 4.4-fold higher for S1P1 and 150-fold lower for human S1P3. Therefore, ponesimod was ~650-fold more selective for human S1P1 over S1P3 than the natural ligand[1].
In vivo	Ponesimod is a new, potent, and selective S1P1 receptor agonist with pharmacokinetic properties allowing rapid restoration of lymphocyte count in peripheral blood upon discontinuation. Ponesimod prevents edema formation, inflammatory cell accumulation, and cytokine release in the skin of mice with delayed-type hypersensitivity. Ponesimod also prevents the increase in paw volume and joint inflammation in rats with adjuvant-induced arthritis. Selective activation of S1P1 using ponesimod leads to blood lymphocyte count reduction and prevention in models of lymphocyte-mediated tissue inflammation. It has the potential to be as effective in animal models of autoimmunity and human autoimmune disease via its effect on T and B cell blood count. Thus, ponesimod may represent a new therapeutic option for the treatment of autoimmune diseases[1]. Ponesimod is eliminated within 1 week of discontinuation and its pharmacological effects are rapidly reversible [2].
Kinase Assay	The enzymatic reactions are conducted in duplicate at room temperature for 1 hour in a 50 μL mixture containing PKMT assay buffer, substrate coated plate, 10 M SAM, a HMT enzyme (EZH2 (800 ng/reaction), MLL (300 ng/reaction), PRMT1 (0.5 ng/reaction), SUV39H1 (75 ng/reaction) and UNC0638 (0-1.25 μM). After enzymatic reactions, 100 μL of first antibody is added to each well and the plate is incubated at room temperature for an additional 1 h. 100 μL of secondary antibody is added to each well and the plate is incubated at room temperature for an additional 30 min. 100 μL of developer reagents are added to wells and luminescence is measured using a BioTek SynergyTM 2 microplate reader. Enzyme activity assays are performed in duplicates at each concentration. The luminescence data are analyzed using the computer software, Graphpad Prism[1].

Solubility Information

Solubility	DMSO: 55 mg/mL (119.31 mM),Sonication is recommended. Ethanol: 92 mg/mL (199.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1693 mL	10.8467 mL	21.6934 mL
5 mM	0.4339 mL	2.1693 mL	4.3387 mL
10 mM	0.2169 mL	1.0847 mL	2.1693 mL
50 mM	0.0434 mL	0.2169 mL	0.4339 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Piali L. et al. J Pharmacol Exp Ther. 2011, 337(2):547-556.
Fauzyah Y, Ono C, Torii S, et al. Ponesimod suppresses hepatitis B virus infection by inhibiting endosome maturation. Antiviral Research. 2021 Feb;186:104999
D'Ambrosio D, et al. Ther Adv Chronic Dis. 2016, 7(1):18-33.
Fauzyah Y, Ono C, Torii S, et al. Ponesimod suppresses hepatitis B virus infection by inhibiting endosome maturation[J]. Antiviral Research. 2020: 104999.