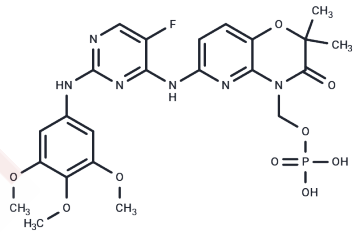
Data Sheet (Cat.No.T6115)

TargetMol

Fostamatinib

Chemical Properties



CAS No. : 901119-35-5

Formula: C23H26FN6O9P

Molecular Weight: 580.46

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Fostamatinib (R788)(IC50 of 41 nM), which is a prodrug of the active metabolite R406, is a Syk inhibitor. It does not work on Lyn.
Targets(IC50)	FLT,Syk,Adenosine Receptor,Monoamine Transporter
In vitro	In rheumatoid arthritis models, Fostamatinib significantly reduces inflammatory mediators such as TNF-alpha, IL-1, IL-6, and IL-18, thereby diminishing inflammation and bone degeneration. It effectively inhibits BCR signaling in vivo, leading to decreased proliferation and survival of malignant B cells, and notably prolongs the survival of treated animals. Oral administration of Fostamatinib in reverse passive Arthus reaction and dual-antibody-induced arthritis mouse models reduces immune complex-mediated inflammation.
In vivo	In human adipocytes, macrophages, and neutrophils, Fostamatinib specifically inhibits FcγR signaling. It induces apoptosis in most DLBCL cell lines. Fostamatinib (EC50=56 nM) inhibits anti-IgE mediated CHMC degranulation in a dose-dependent manner. Additionally, it inhibits the production and release of LTC4, cytokines, and chemokines, including TNFα, IL-8, and GM-CSF, induced by anti-IgE.
Kinase Assay	Fluorescence polarization kinase assay and Ki determination: The fluorescence polarization reactions are performed. For Ki determination, duplicate 200-μL reactions are set up at eight different ATP concentrations from 200 μM (2-fold serial dilutions) in the presence of either DMSO or R788 at 125, 62.5, 31.25, 15.5, or 7.8 nM. At different time points, 20 μL of each reaction is removed and quenched to stop the reaction. For each concentration of R788, the rate of reaction at each concentration of ATP is determined and plotted against the ATP concentration to determine the apparent Km and Vmax (maximal rate). Finally the apparent Km (or apparent Ki/Vmax) is plotted against the inhibitor concentration to determine the Ki.
Cell Research	Cultured human mast cells (CHMC) are derived from cord blood CD34+ progenitor cells and grown, primed, and stimulated and shown in supplemental data. Before stimulation, cells are incubated with R788 or DMSO for 30 minutes. Cells are then stimulated with either 0.25 to 2 mg/mL anti-IgE or anti-IgG or 2 μM ionomycin. For tryptase measurement, 1500 cells per well are stimulated for 30 min in modified Tyrode's buffer. For LTC4 and cytokine production, 100,000 cells per well are stimulated for 1 or 7 hours, respectively. Tryptase activity is measured by luminescence readout of

Cell Research	peptide substrate, and LTC4 and cytokines are measured using Luminex multiplex technology. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 127.5 mg/mL (219.65 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (6.89 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7228 mL	8.6139 mL	17.2277 mL
5 mM	0.3446 mL	1.7228 mL	3.4455 mL
10 mM	0.1723 mL	0.8614 mL	1.7228 mL
50 mM	0.0345 mL	0.1723 mL	0.3446 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Braselmann S, et al. J Pharmacol Exp Ther, 2006, 319(3), 1998-12008.
Chen L et al.Blood, 2008, 111(4), 2230-2237.
Suljagic M, et al. Blood, 2010, 116(23), 4894-4905.
Bajpai M. IDrugs, 2009, 12(3), 174-185.