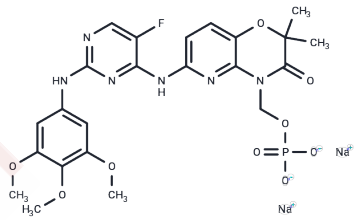
Data Sheet (Cat.No.T2605)

TargetMol

Fostamatinib Disodium

Chemical Properties



CAS No. : 1025687-58-4

Formula: C23H24FN6O9P·2Na

Molecular Weight: 624.42

Storage: Keep away from moisture,Store at low temperature
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 Disodium (R788 Disodium) is an orally available Syk kinase inhibitor with potential anti-inflammatory and immunomodulatory activities.
Targets(IC50)	FLT,Syk
In vitro	In mouse tumor models, daily administration of R935788 (80 mg/kg) effectively inhibited the growth of TCL1-002, TCL1-551, and TCL1-870 tumors. In Eμ-TCL1 transgenic mice, R935788 suppressed leukemia cell proliferation and survival by blocking antigen-dependent B-cell receptor signaling.
In vivo	R406, within a range of EC50 values (0.8-8.1 μM) across various diffuse large B-cell lymphoma cell lines, reduces the phosphorylation of BLNK, Akt, GSK-3, FOXO, and ERK, thereby inhibiting cell proliferation.
Kinase Assay	In vitro fluorescence polarization kinase assays: R406 (in vitro active form of R935788) is serially diluted in DMSO and then diluted to 1% DMSO in kinase buffer (20 mM HEPES, pH 7.4, 5 mM MgCl2, 2 mM MnCl2, 1 mM DTT, 0.1 mg/mL acetylated BGG). ATP and substrate in kinase buffer are added at room temperature, resulting in a final DMSO concentration on 0.2%. The kinase reactions are performed in a final volume of 20 μL containing 5 μM HS1 peptide substrate and 4 μM ATP and started by addition of 0.125 ng of Syk in kinase buffer. The reaction is allowed to proceed for 40 minutes at room temperature. The reaction is stopped by the addition of 20 μL of PTK quench mix containing EDTA/anti-phosphotyrosine antibody (1× final)/fluorescent phosphopeptide tracer (0.5× final) diluted in FP Dilution Buffer. The plate is incubated for 30 minutes in the dark at room temperature and then read on a Polarion fluorescence polarization plate reader. Data is converted to determine the amount of phosphopeptide present using a calibration curve generated by competition with the phosphopeptide competitor provided in the Tyrosine Kinase Assay Kit. For IC50 determination, R406 is tested at eleven concentrations in duplicate and curve-fitting is performed by non-linear regression analysis using Prism GraphPad Software.
Cell Research	Cells are exposed to increasing concentrations of R406 (in vitro active form of R935788) for 48 hours. The percentage of apoptotic cells is determined by double staining with propidium iodide (PI) and annexin-A5-FITC conjugate. Ki-67 staining is performed with the FITC mouse anti-Ki-67 set. Samples are analyzed on a FACSCalibur flow cytometer with CellQuest Version 3.3 software. (Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (80.07 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: 2 mg/mL (3.2 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	1.6015 mL	8.0074 mL	16.0149 mL
5 mM	0.3203 mL	1.6015 mL	3.203 mL
10 mM	0.1601 mL	0.8007 mL	1.6015 mL
50 mM	0.032 mL	0.1601 mL	0.3203 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), 998-1008.
Chen L, et al. Blood, 2008, 111(4), 2230-2237.
Suljagic M, et al. Blood, 2010, 116(23), 4894-4905.

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