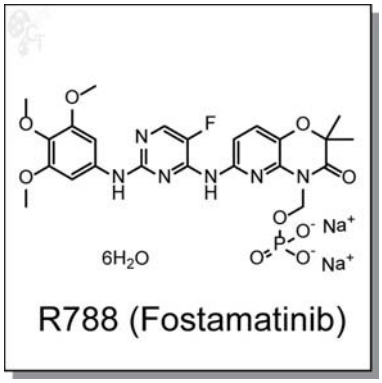
Product Specification Sheet

Product Name: R788 (Fostamatinib disodium)

Catalog Number: C7788



R788 (Fostamatinib)

Technical information:

Chemical Formula:	$C_{23}H_{24}FN_6O_9P.{}_2Na$
CAS #:	1025687-58-4
Molecular Weight:	624.42
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 6-[[5-fluoro-2-[(3,4,5-trimethoxyphenyl)amino]-4-pyrimidinyl]amino]-2,2-dimethyl-4-[(phosphonooxy)methyl]-, sodium salt (1:2)
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.16mL of DMSO for each mg of R788 (Fostamatinib disodium).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

R788 is an orally-available, ATP-competitive, methylene phosphate prodrug of R406, which is a potent inhibitor of Syk (IC50 = 41 nM). [1] In a broad panel of receptor, ion channel, and enzyme binding assays, R406 was shown to inhibit adenosine A3 receptor (IC50 = 81 nM), adenosine transporter (IC50 = 1.8 uM), and monoamine transporter (IC50 = 2.7 uM). Followup measurements for ligand-induced guanosine 5'-O-(thiotriphosphate) binding to the adenosine A3 receptor showed that R406 possesses antagonistic activity with an IC50 of 93 nM. R406 inhibits phosphorylation of Syk substrate linker for activation of T cells in mast cells and B-cell linker protein/SLP65 in B cells. R406 does not inhibit phosphorylation of Sky tyrosine 352, but does inhibit phosphorylation of LAT tyrosine 191. R406 also inhibits IgE- and IgG-mediated activation of Fc receptor signaling.

In a large panel of diffuse large B-cell lymphoma cell lines, R406 inhibited cellular proliferation at EC50s ranging from 0.8 to 8.1 uM. [2]

Reference:

1. Braselmann et al., R406, an orally available spleen tyrosine kinase inhibitor blocks fc receptor signaling and reduces immune complex-mediated inflammation. J. Pharma. Exp. Ther. 2006, 319(3), 998-1008. Pubmed ID: 16946104
2. Chen et al., SYK-dependent tonic B-cell receptor signaling is a rational treatment target in diffuse large B-cell lymphoma. Blood 2008, 111, 2230-2237. Pubmed ID: 18006696

To reorder: http://www.cellagentech.com/R788-Fostamatinib-disodium/

For Technical Support: technical@cellagentech.com

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