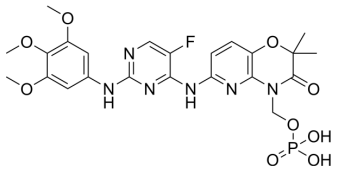
Fostamatinib

Cat. No.:	HY-13038A
CAS No.:	901119-35-5
Molecular Formula:	$C_{23}H_{26}FN_6O_9P$
Molecular Weight:	580.46
Target:	Syk; FLT3
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (107.67 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (17.23 mM); Suspended solution; Need ultrasonic and warming and heat to 40°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.58 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fostamatinib (R788) is the oral proagent of the active compound R406[1]. R406 is an orally available and competitive Syk/FLT3 inhibitor with a K_i of 30 nM and an IC_{50} of 41 nM[2]. R406 also inhibits Lyn (IC_{50}=63 nM) and Lck (IC_{50}=37 nM)[3].

IC_{50} & Target

Syk, FLT3[2]

In Vivo	Fostamatinib (R788) is highly bioavailable, and rapidly absorbed in Louvain rats. R406 following a single oral dose of R788 10 mg/kg or 20 mg/kg: $AUC_{0\text{-}16\ hrs}$= 10618 ng*h/mL and 30650 ng*h/mL respectively; C_{max}=2600 ng/mL and 6500 ng/mL respectively (observed at 1 hour); $t_{1/2}$=4.2 hours. The prodrug was not detected in plasma suggesting R788 is completely converted to R406[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Med. 2018 Feb;24(2):232-238.
- Cancer Cell. 2014 Feb 10;25(2):226-42.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- EMBO J. 2021 Apr 28;e106771.
- Blood Cancer J. 2014 Aug 22;4(8):e240.

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REFERENCES

[1]. Stephen P McAdoo, et al. Fostamatinib Disodium. Drugs Future. 2011;36(4):273.

[2]. Sylvia Braselmann, et al. R406, an orally available spleen tyrosine kinase inhibitor blocks fc receptor signaling and reduces immune complex-mediated inflammation. J Pharmacol Exp Ther. 2006 Dec;319(3):998-1008.

[3]. Hoon-Suk Cha , et al. A novel spleen tyrosine kinase inhibitor blocks c-Jun N-terminal kinase-mediated gene expression in synoviocytes. J Pharmacol Exp Ther. 2006 May;317(2):571-8.

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