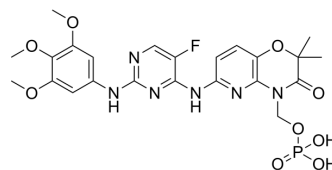


Fostamatinib

Cat. No.:	HY-13038A		
CAS No.:	901119-35-5		
Molecular Formula:	C ₂₃ H ₂₆ FN ₆ O ₉ P		
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	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (107.67 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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
- 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 IC₅₀ of 41 nM^[2]. R406 also inhibits Lyn (IC₅₀=63 nM) and Lck (IC₅₀=37 nM)^[3].

IC₅₀ & 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: $AUC_{0-16\text{ hrs}} = 10618\text{ ng}^*\text{h/mL}$ and $30650\text{ ng}^*\text{h/mL}$ respectively; $C_{\text{max}} = 2600\text{ ng/mL}$ 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.
- Blood. 2022 Nov 15.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Blood Cancer J. 2014 Aug 22;4(8):e240.

See more customer validations on www.MedChemExpress.com

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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite F, Monmouth Junction, NJ 08852, USA