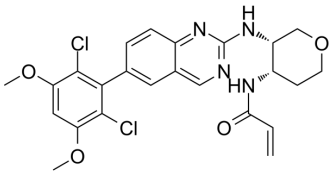
Fisogatinib

Cat. No.:	HY-100492
CAS No.:	1707289-21-1
Molecular Formula:	$C_{24}H_{24}Cl_2N_4O_4$
Molecular Weight:	503.38
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (198.66 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9866 mL	9.9329 mL	19.8657 mL
	5 mM	0.3973 mL	1.9866 mL	3.9731 mL
	10 mM	0.1987 mL	0.9933 mL	1.9866 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fisogatinib (BLU-554) is a potent, highly selective and orally active fibroblast growth factor receptor 4 (FGFR4) inhibitor with an IC_{50} of 5 nM. Fisogatinib has significant anti-tumor activity in models of hepatocellular carcinoma (HCC) that are dependent on FGFR4 signalling[1][2].

IC_{50} & Target

FGFR4
5 nM (IC_{50})

In Vivo

Tissue distribution of Fisogatinib (10 mg/kg; oral gavage; for 4 hours; FVB/NRj mice) in wild-type mice is as follows; tissue concentrations decreases in the order liver > kidney > small intestine > spleen > brain. The high Fisogatinib liver-to-plasma ratio suggests there is a relatively high amount of the drug being transported into the liver[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wild type male mice(FVB/NRj, 11-14 weeks of age)[1]
Dosage:	10 mg/kg
Administration:	Oral gavage; for 4 hours (Pharmacokinetic study)
Result:	Tissue concentrations decreased in the order liver > kidney > small intestine > spleen > brain.

CUSTOMER VALIDATION

- Nat Genet. 2022 Dec;54(12):1983-1993.

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REFERENCES

[1]. Dogan-Topal B, et al. Quantification of FGFR4 inhibitor BLU-554 in mouse plasma and tissue homogenates using liquid chromatography-tandem mass spectrometry. J Chromatogr B Analyt Technol Biomed Life Sci. 2019 Mar 15;1110-1111:116-123.

[2]. Richard Kim, et al. First-in-human study of BLU-554, a potent, highly selective FGFR4 inhibitor designed for hepatocellular carcinoma (HCC) with FGFR4 pathway activation. EJC. December 2016, Volume 69, Supplement 1, Page S41.

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