产品名称：BLU-554
产品别名：Fisogatinib

生物活性：

Description	Fisogatinib (BLU-554) is a potent, highly selective and orally active fibroblast growth factor receptor 4 (FGFR4) inhibitor with an IC50 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].

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.

Solvent&Solubility

In Vitro:

DMSO : ≥ 25 mg/mL (49.66 mM)

* "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.97 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (4.97 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.97 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.97 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.