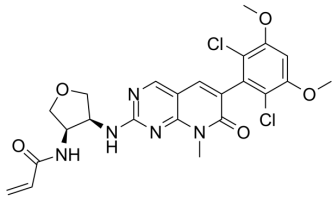
FGFR4-IN-5

Cat. No.:	HY-131704
CAS No.:	1628793-01-0
Molecular Formula:	$C_{23}H_{23}Cl_2N_5O_5$
Molecular Weight:	520.37
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (192.17 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9217 mL	9.6085 mL	19.2171 mL
	5 mM	0.3843 mL	1.9217 mL	3.8434 mL
	10 mM	0.1922 mL	0.9609 mL	1.9217 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 (4.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FGFR4-IN-5 is a potent and selective covalent FGFR4 inhibitor with an IC_{50} of 6.5 nM. FGFR4-IN-5 exhibits strong anti-tumor activity in vivo and can be used for hepatocellular carcinoma research[1].

IC_{50} & Target

FGFR4	FGFR2
6.5 nM (IC_{50})	505 nM (IC_{50})

In Vivo

FGFR4-IN-5 (oral gavage; 10 mg/kg; single dose) reveals a high C_{max}, low clearance, the C_{max} values are 423 ng/ml, 588 ng/ml, and 2820 ng/ml in mice, rat and cynamolgus monkey, respectively. And the oral bioavailability are 20, 12, and 27% in mouse, rat, and cyno, respectively[1].

FGFR4-IN-5 (oral gavage; 100 mg/kg; twice daily; 28 days) exhibits strong antitumor activity in an orthotopic Hep3B HTX model[1].

FGFR4-IN-5 (oral gavage; 10, 30, and 100 mg/kg; twice daily; 11 days) results in dose-dependent growth inhibition of resistant tumors. Tumor regression is observed at 30 and 100 mg/kg, with %ΔT/ΔC of 67% and 70%, respectively. However, treatment with sorafenib at 100 mg/kg once daily does not provide any benefit in vivo[1].

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

Animal Model:	Hep3B cell bearing mice model[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; 100 mg/kg; twice daily; 28 days
Result:	Resulted in tumor regression and sustained growth inhibition.

Animal Model:	Sorafenib-resistant tumors established to mice bearing Huh7 tumors[1]
Dosage:	10, 30, and 100 mg/kg
Administration:	Oral gavage; 10, 30, and 100 mg/kg; twice daily; 11 days
Result:	Resulted in dose-dependent growth inhibition of resistant tumors.

REFERENCES

[1]. Haibo Liu, et al. Discovery of Selective, Covalent FGFR4 Inhibitors with Antitumor Activity in Models of Hepatocellular Carcinoma. ACS Med Chem Lett. 2020 Mar 6;11(10):1899-1904.

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