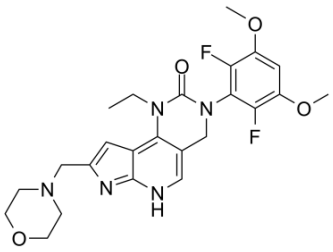
Pemigatinib

Cat. No.:	HY-109099
CAS No.:	1513857-77-6
Molecular Formula:	$C_{24}H_{27}F_2N_5O_4$
Molecular Weight:	487.5
Target:	FGFR
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 : 25 mg/mL (51.28 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0513 mL	10.2564 mL	20.5128 mL
	5 mM	0.4103 mL	2.0513 mL	4.1026 mL
	10 mM	0.2051 mL	1.0256 mL	2.0513 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: 2.08 mg/mL (4.27 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (5.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pemigatinib (INCB054828) is an orally active, selective FGFR inhibitor with IC_{50}s of 0.4 nM, 0.5 nM, 1.2 nM, 30 nM for FGFR1, FGFR2, FGFR3, FGFR4, respectively. Pemigatinib has the potential for cholangiocarcinoma[1][2].

IC_{50} & Target				
	FGFR1 0.4 nM (IC_{50})	FGFR2 0.5 nM (IC_{50})	FGFR3 1.2 nM (IC_{50})	FGFR4 30 nM (IC_{50})

In Vitro	This hypothesis is corroborated with in vitro cell-based studies in which cells expressing FGFR2-CLIP1 fusion are sensitive to Pemigatinib (INCB054828; IC_{50} value of 10.16 nM), whereas cells with the addition of the N549H mutation are resistant to Pemigatinib (IC_{50} value of 1527.57 nM)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Arudra K, et al. Calcinosis cutis dermatologic toxicity associated with fibroblast growth factor receptor inhibitor for the treatment of Wilms tumor. J Cutan Pathol. 2018 Oct;45(10):786-790.

[2]. Roskoski R Jr, et al. The role of fibroblast growth factor receptor (FGFR) protein-tyrosine kinase inhibitors in the treatment of cancers including those of the urinary bladder. Pharmacol Res. 2020 Jan;151:104567.

[3]. Krook MA, et al. Tumor heterogeneity and acquired drug resistance in FGFR2-fusion-positive cholangiocarcinoma through rapid research autopsy. Cold Spring Harb Mol Case Stud. 2019 Aug 1;5(4).

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