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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
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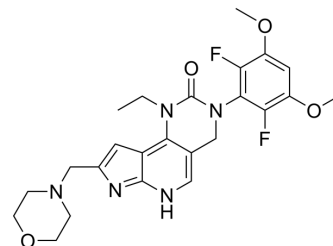
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Pemigatinib

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	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (51.28 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	Solvent Concentration	Mass	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: 5% DMSO >> 95% (20% SBE-β-CD in saline) Solubility: ≥ 2.75 mg/mL (5.64 mM); Clear solution					
	2. 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					
	3. 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					
	4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.27 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

Cells expressing the FGFR2-CLIP1 fusion is sensitive to Pemigatinib (INCB054828; IC₅₀ value 10.16 nM), while cells with added N549H mutation is resistant to Pemigatinib (IC₅₀ value of 1527.57 nM)^[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2022 Aug;608(7923):609-617.
- Proc Natl Acad Sci U S A. 2024 Feb 6;121(6):e2317756121.
- Mol Syst Biol. 2023 Dec 18.
- NPJ Precis Oncol. 2021 Jul 16;5(1):66.
- J Chem Inf Model. 2024 Mar 8.

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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).

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

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