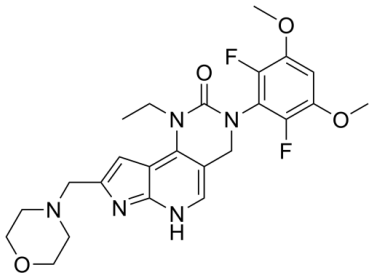
Data Sheet

Product Name:	Pemigatinib
Cat. No.:	CS-0039499
CAS No.:	1513857-77-6
Molecular Formula:	$C_{24}H_{27}F_2N_5O_4$
Molecular Weight:	487.50
Target:	FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 25 mg/mL (ultrasonic;warming;heat to 60°C)



BIOLOGICAL ACTIVITY:

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]. ***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].

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).

CAIndexNames:

2H-Pyrrolo[3',2':5,6]pyrido[4,3-d]pyrimidin-2-one, 3-(2,6-difluoro-3,5-dimethoxyphenyl)-1-ethyl-1,3,4,7-tetrahydro-8-(4-morpholinylmethyl)-

SMILES:

CCN(C(N(C(C(F)=C(OC)C=C1OC)=C1F)C2)=O)C(C2=CN3)=C4C3=NC(CN5CCOCC5)=C4

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

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