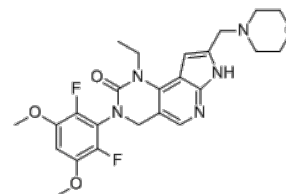


Product Name : Pemigatinib
Cat. No. : PC-61394
CAS No. : 1513857-77-6
Molecular Formula : C₂₄H₂₇F₂N₅O₄
Molecular Weight : 487.5
Target : FGFR
Solubility : 10 mM in DMSO



1. Phillip CC Liu, et al. Abstract 771: Preclinical characterization of the selective FGFR inhibitor INCB054828. **AACR** DOI: 10.1158/1538-

Biological Activity

Pemigatinib (INCB054828, INCB 054828) is a potent, selective, orally bioavailable inhibitor of **FGFR1/2/3** with IC₅₀ of 0.4 nM, 0.5 nM, 1.2 nM and 30 nM for FGFR1/2/3/4, respectively.

Pemigatinib (INCB054828) potently inhibits the kinase activity of recombinant FGFR1, FGFR2 and FGFR3 enzymes and is highly selective against a panel of kinases including VEGFR2.

Pemigatinib (INCB054828) inhibits the autophosphorylation of FGFR proteins with low nanomolar IC₅₀ values and blocks signal transduction by FGFR to downstream markers of pathway activation.

Cancer cell lines that have genetic alterations in FGFR1, FGFR2 and FGFR3 were uniquely displays sensitivity to cancer cell growth that have genetic alterations in FGFR1, FGFR2 and FGFR3 with IC₅₀ in the range of 3-50 nM, with little to no effect on cancer cell lines or normal cells without FGFR dependence (IC₅₀>1.5 μM).

Pemigatinib (INCB054828) inhibits the growth of tumors that are dependent upon FGFR1, FGFR2 and FGFR3 activity in vivo, which is dose-dependent and correlated with pharmacodynamic inhibition of FGFR.

References

7445.AM2015-771 Published August 2015.

2. Mansoor Saleh, et al. Abstract CT111: Preliminary results from a phase 1/2 study of INCB054828, a highly selective fibroblast growth factor receptor (FGFR) inhibitor, in patients with advanced malignancies. **AACR** DOI: 10.1158/1538-7445.AM2017-CT111 Published July 2017.

