FIIN-2

Cat. No.: HY-18602

CAS No.: 1633044-56-0

Molecular Formula: $C_{35}H_{38}N_8O_4$

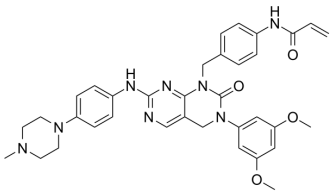
Molecular Weight: 634.73

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 : ≥ 30 mg/mL (47.26 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5755 mL	7.8774 mL	15.7547 mL
5 mM	0.3151 mL	1.5755 mL	3.1509 mL
10 mM	0.1575 mL	0.7877 mL	1.5755 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 (3.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FIIN-2 is an irreversible inhibitor of FGFR with an IC_{50} of 3.1, 4.3, 27, and 45 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.

IC_{50} & Target

FGFR1	FGFR2	FGFR3	FGFR4
3.1 nM (IC_{50})	4.3 nM (IC_{50})	27 nM (IC_{50})	45 nM (IC_{50})

In Vitro	FIIN-2 potently inhibits WT FGFRs (EC_{50}s in the 1- to 93-nM range) and the gatekeeper mutant of FGFR2 (EC_{50} of 58 nM). FIIN-2 also moderately inhibits EGFR, with an IC_{50} of 204 nM. FIIN-2 inhibits proliferation of FGFR1-4 Ba/F3 cells with EC_{50}s in the single- to double-digit nanomolar range and are especially potent against FGFR2, with EC_{50}s in the 1-nM range. FIIN-2 shows good potency against gatekeeper mutant V564F[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Treatment of fish in the embryonic state with either FIIN-2 causes defects to the posterior mesoderm similar to the phenotypes reported following genetic knockdown of FGFR or treatment with other reported FGFR inhibitors. FIIN-2 causes mild or severe phenotypes to the tail morphogenesis in all treated embryonic zebrafish[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	TEL-FGFR2–transformed Ba/F3 cells are seeded in a 96-well plate and are treated with each concentration of the compounds. After 72 h the cells are assessed by MTS tetrazolium assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tan L, et al. Development of covalent inhibitors that can overcome resistance to first-generation FGFR kinase inhibitors. Proc Natl Acad Sci U S A. 2014 Nov 11;111(45):E4869-4877.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA