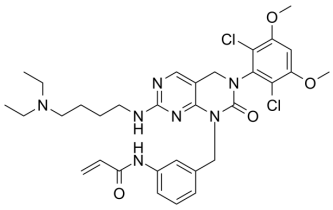
FIIN-1

Cat. No.:	HY-15813
CAS No.:	1256152-35-8
Molecular Formula:	$C_{32}H_{39}Cl_2N_7O_4$
Molecular Weight:	656.6
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 Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FIIN-1 is a potent, irreversible, selective FGFR inhibitor. FIIN-1 binds to FGFR1/2/3/4 and Flt1/4 with K_ds of 2.8/6.9/5.4/120 nM and 32/120 nM respectively. The biochemical IC_{50}s of FIIN-1 are 9.2, 6.2, 11.9, and 189 nM against FGFR1/2/3/4, respectively [1].

IC_{50} & Target

FGFR1 2.8 nM (Kd)	FGFR2 6.9 nM (Kd)	FGFR3 5.4 nM (Kd)	FGFR4 120 nM (Kd)
FGFR1 9.2 nM (IC_{50})	FGFR2 6.2 nM (IC_{50})	FGFR3 11.9 nM (IC_{50})	FGFR4 189 nM (IC_{50})

In Vitro

FIIN-1 binds to BLK, ERK5, KIT, MET, PDGFRB and VEGFR2 with K_ds of 65 nM, 160, 420, 1000, 480 and 210 nM, respectively. The IC_{50}s for Blk and Flt1 are 381 nM and 661 nM respectively[1].
FIIN-1 (14 nM-46 μM; 72 hours) inhibits proliferation of FGF signaling-sensitive cancer cell lines[1].
FIIN-1 (20 nM) inhibits iFGFR1 autophosphorylation and its downstream Erk1/2 almost completely.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Stomach KATO III, SNU-16 and FU97 cells; Bladder RT4 cells; Kidney G-401 and G-402 cells; Lung SBC-3 and H520 cells; Pancreas A2.1 cells; Ovary A2780 and PA-1 cells; Bone RD-ES cells
Concentration:	

Incubation Time:	72 hours
Result:	The EC_{50} of 70 nM for Bladder RT4 cell. The EC_{50} of 230 nM for Pancreas A2.1 cell. The EC_{50} of 2.3 μM for Bone RD-ES cell. EC_{50}s of 0.22 and 4.6 μM for Ovary A2780 and PA-1 cells, respectively. EC_{50}s of 0.08 and 4.5 μM for Lung SBC-3 and H520 cells, respectively. EC_{50}s of 0.14 and 1.65 μM for Kidney G-401 and G-402 cells, respectively. EC_{50}s of 0.014, 0.03 and 0.65 μM for Stomach KATO III, SNU-16, FU97 cells, respectively.

Western Blot Analysis[1]

Cell Line:	Serum-starved MCF10A cells that stably express iFGFR1
Concentration:	20 nM
Incubation Time:	30 minutes
Result:	Blocked activation of iFGFR1 and phosphorylation of downstream effectors Erk1/2.

REFERENCES

[1]. Wenjun Zhou, et al. A structure-guided approach to creating covalent FGFR inhibitors. Chem Biol. 2010 Mar 26;17(3):285-95.

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