# FGFR-IN-11

**Cat. No.:** HY-155028

**CAS No.:** 2658488-68-5

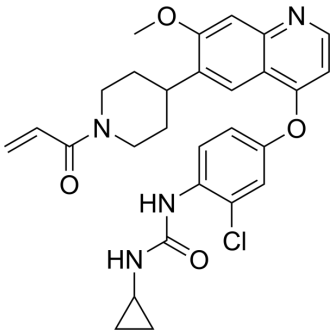
**Molecular Formula:** $C_{28}H_{29}ClN_4O_4$

**Molecular Weight:** 521.01

**Target:** FGFR

**Pathway:** Protein Tyrosine Kinase/RTK

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.



## BIOLOGICAL ACTIVITY

**Description**

FGFR-IN-11 (compound I-5) is an orally active and covalent FGFR inhibitor with $IC_{50}$ values of 9.9 nM (FGFR1), 3.1 nM (FGFR2), 16 nM (FGFR3), and 1.8 nM (FGFR4), respectively. FGFR-IN-11 inhibits multiple cancer cell proliferation with nanomolar activity. FGFR-IN-11 inhibits tumor growth significantly in xenograft mice models[1].

**$IC_{50}$ & Target**

| FGFR1 | FGFR2 | FGFR3 | FGFR4 |
|---|---|---|---|
| 9.9 nM ($IC_{50}$) | 3.1 nM ($IC_{50}$) | 16 nM ($IC_{50}$) | 1.8 nM ($IC_{50}$) |

**In Vitro**

FGFR-IN-11 (0.3 nM-20 μM, 72 h) inhibits multiple cancer cell growth with nanomolar activity[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

| | |
|---|---|
| Cell Line: | NCI-H1581, SNU16, Huh-7, Hep3B |
| Concentration: | 0.3 nM-20 μM |
| Incubation Time: | 72 h |
| Result: | Inhibited cell growth with $IC_{50}$ values of less than 2 nM (NCI-H1581 and SNU16), 15.63 nM (Huh-7) and 52.6 nM (Hep3B). |

**In Vivo**

FGFR-IN-11 (60 mg/kg, p.o., QD for 21 days) inhibits tumor growth significantly and without effect on body weight in the Huh-7 or NCI-H1581 xenograft model in female nude mice[1].

Pharmacokinetic Study of compound I-5[1]

compound I-5 [redacted][1]

| parameters (unit) | $C_0$ (ng/mL) | $C_{max}$ (ng/mL) | $T_{1/2}$ (h) | $T_{max}$ (h) | $AUC_{(0-t)}$ (h•ng/mL) | $AUC_{(0-\infty)}$ (h•ng/mL) | $MRT_{(0-t)}$ (h) | $MRT_{(0-\infty)}$ (h) | CI (mL/kg/min) | $V_{ss}$ (mL/kg) | F (%) |
|---|---|---|---|---|---|---|---|---|---|---|---|
| iv (2 mg/kg) | 3295 | 1836 | 0.26 | 0.08 | 570 | 572 | 0.18 | 0.19 | 58 | 1319 | / |

| | | | | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|---|---|
| po (10 mg/kg) | / | 1802 | 2.48 | 0.25 | 1731 | 1966 | 1.99 | 3.16 | / | / | 60.69 |

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Huh-7 xenograft model in female nude mice[1] |
| Dosage: | 15, 30, 60 mg/kg |
| Administration: | Oral administration (p.o.) |
| Result: | Inhibited tumor growth of 88.2% at the dose of 60 mg/kg and had no significant changes in body weight. |

| | |
|---|---|
| Animal Model: | NCI-H1581 xenograft model in female nude mice[1] |
| Dosage: | 60 mg/kg |
| Administration: | Oral administration (p.o.) |
| Result: | Inhibited tumor growth of 67% and had no significant changes in body weight. |

## REFERENCES

[1]. Hu S, et al. Discovery and Structural Optimization of Novel Quinolone Derivatives as Potent Irreversible Pan-Fibroblast Growth Factor Receptor Inhibitors for Treating Solid Tumors. J Med Chem. 2023 Jul 13;66(13):8858-8875.



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