EGFR-IN-8

Cat. No.: HY-126320

CAS No.: 2407957-87-1

Molecular Formula: $C_{32}H_{23}ClF_3N_7O_4$

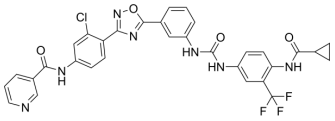
Molecular Weight: 662.02

Target: EGFR; c-Met/HGFR

Pathway: JAK/STAT Signaling; 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 Vitro

DMSO : 8.33 mg/mL (12.58 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5105 mL	7.5526 mL	15.1053 mL
5 mM	0.3021 mL	1.5105 mL	3.0211 mL
10 mM	0.1511 mL	0.7553 mL	1.5105 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

EGFR-IN-8 is a dual EGFR and c-Met inhibitor, compound 48. EGFR-IN-8 can be a promising candidate for further development to target EGFR TKI-resistant NSCLC[1].

IC_{50} & Target

EGFR; c-Met[1]

In Vitro

EGFR-IN-8 (0-20 μM; 24-72 hours) exhibits a time- and dose-dependent inhibitory effect on the viability of A549, PC9, H1975, CL68, and CL97 cells at different time intervals, with IC_{50} values ranging from 0.3 to 0.6 μM and 0.2-0.5 μM after 48 and 72 h of treatment respectively[1].

EGFR-IN-8 (0-0.6 μM; 48 hours) suppresses the expression of EGFR and c-Met in these five cell lines irrespective of their mutational status[1].

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

Cell Viability Assay[1]

Cell Line:	A549, PC9, H1975, CL68, and CL97 cells

Concentration:	0-20 μM
Incubation Time:	24 hours, 48 hours, 72 hours
Result:	Showed inhibitory effects on different cells.

Western Blot Analysis[1]

Cell Line:	A549, PC9, H1975, CL68, and CL97 cells
Concentration:	0-0.6 μM
Incubation Time:	48 hours
Result:	Decreased EGFR and c-Met expression.

In Vivo

EGFR-IN-8 (oral gavage; 50 and 150 mg/kg; once daily; 20 days) exhibits a dose-dependent suppression (29% and 60%, respectively) of H1975 xenograft tumor growth at 50 and 150 mg/kg[1].

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

Animal Model:	Nude mice H1975 xenograft tumor model[1]
Dosage:	50 and 150 mg/kg
Administration:	Oral gavage; 50 and 150 mg/kg; once daily; 20 days
Result:	Inhibited H1975 xenograft tumor growth.

REFERENCES

[1]. Dokla EME, et al. 1,2,4-Oxadiazole derivatives targeting EGFR and c-Met degradation in TKI resistant NSCLC. Eur J Med Chem. 2019 Aug 9;182:111607.

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