EGFR-IN-11

Cat. No.: HY-130616

CAS No.: 2463200-44-2

Molecular Formula: $C_{29}H_{35}N_9O_2S$

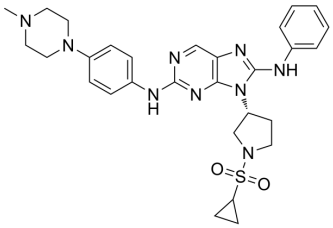
Molecular Weight: 573.71

Target: EGFR; Apoptosis

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis

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 : 125 mg/mL (217.88 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7430 mL	8.7152 mL	17.4304 mL
5 mM	0.3486 mL	1.7430 mL	3.4861 mL
10 mM	0.1743 mL	0.8715 mL	1.7430 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: ≥ 2.08 mg/mL (3.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EGFR-IN-11 is a fourth-generation EGFR-tyrosine kinase inhibitor (EGFR-TKI) with an IC_{50} of 18 nM for triple mutant EGFR $^{L858R/T790M/C797S}$. EGFR-IN-11 significantly suppresses the EGFR phosphorylation, induce the apoptosis, and arrest cell cycle at G0/G1[1].

IC_{50} & Target

$EGFR^{L858R/T790M/C797S}$

18 nM (IC_{50})

In Vitro

EGFR-IN-11 (Compound D9; 0.0001-10 μM; 72 hours) shows significantly potent anti-proliferation against HCC827 and H1975 cell lines with IC_{50}s of 0.88 nM and 0.20 μM, respectively[1].

EGFR-IN-11 (0.01-1.00 μM for HCC827 cells; 0.1-10.00 μM for H1975 and A549 cells; 8 hours) suppresses EGFR

phosphorylation in a concentration-dependent manner in the HCC827, H1975 and A549 cell line[1].
EGFR-IN-11 (1 μM; 24 h) potently induces the apoptosis of HCC827 cells.[1]
EGFR-IN-11 (1 μM; 24 h) induces cell cycle arrests in HCC827 cell[1].

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

Cell Proliferation Assay[1]

Cell Line:	Human lung cancer cell lines HCC827 ($EGFR^{Del\ E746\text{-}A750}$), H1975 ($EGFR^{L858R/T790M}$) and A549 (EGFRWT); epidermoid carcinoma cell line A431 (EGFRWT)
Concentration:	0.0001, 0.0003, 0.001, 0.003, 0.01, 0.1, 1, 10 μM
Incubation Time:	72 hours
Result:	Inhibited HCC827 H1975 A549 cells proliferation with IC_{50}s of 0.88±0.09 nM, 0.20±0.01 μM, 2.91±0.61 μM, and >10 μM, respectively.

Western Blot Analysis[1]

Cell Line:	HCC827, H1975 and A549 cells
Concentration:	1.00, 0.10 and 0.01 μM for HCC827 cells; 10.00, 1.00 and 0.10 μM for H1975 and A549 cells
Incubation Time:	8 hours
Result:	Suppressed EGFR phosphorylation in a concentration-dependent manner. EGFR phosphorylation in the HCC827 cell line was more remarkably suppressed than in the H1975 and A549 cell lines.

Apoptosis Analysis[1]

Cell Line:	HCC827 cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	The percentages of apoptotic cells is 56.91%.

Cell Cycle Analysis[1]

Cell Line:	HCC827 cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	The number of HCC827 cells in G0/G1 phase was increased significantly.

REFERENCES

[1]. Lei H, et al. Discovery of novel 9-heterocyclyl substituted 9H-purines as L858R/T790M/C797S mutant EGFR tyrosine kinase inhibitors. Eur J Med Chem. 2019 Nov 16:111888.

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

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