EGFR-IN-7

Cat. No.: HY-128862

CAS No.: 2267329-76-8

Molecular Formula: $C_{32}H_{41}BrN_9O_2P$

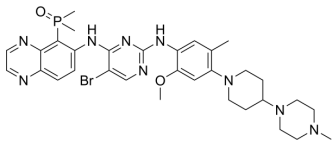
Molecular Weight: 694.6

Target: EGFR

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 : 5 mg/mL (7.20 mM; ultrasonic and warming and adjust pH to 5 with 0.1 M HCL and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4397 mL	7.1984 mL	14.3968 mL
	5 mM	0.2879 mL	1.4397 mL	2.8794 mL
	10 mM	---	---	---

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: ≥ 1.25 mg/mL (1.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (1.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (1.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EGFR-IN-7 is a potent, selective and orally active EGFR kinase inhibitor. EGFR-IN-7 has inhibitory effect for for EGFR (WT) and EGFR (mutant C797S/T790M/L858R) with IC_{50} values of 7.92 nM and 0.218 nM, respectively. EGFR-IN-7 can be used for the research of various cancers[1].

IC_{50} & Target

EGFR (WT)	EGFR (C797S/T790M/L858R)
7.92 nM (IC_{50})	0.218 nM (IC_{50})

In Vitro

EGFR-IN-7 (compound 34) (10 mM) has a strong inhibitory effect on the enzymatic activity of EGFR (WT), EGFR (Δ19 del/T790M/C797S) and EGFR (C797S/T790M/L858R) with IC_{50} values of 7.92 nM, 0.218 nM and 0.16 nM, respectively[1].
EGFR-IN-7 (1 mM) has excellent selectivity for EGFR (WT) in A431 cells with an IC_{50} value of 154 nM[1].
EGFR-IN-7 (10 μM-0.508 nM) has a good inhibitory effect on cells of the Ba/F 3 (EGFRΔ19del/T790M/C797S) triple mutant with an IC_{50} value of 22 nM[1].
EGFR-IN-7 (10 μM or 100 μM) has inhibition of phosphorylation activity of pEGFR Ba/F 3 (EGFRΔ19del/T790M/C797S) cells with an IC_{50} value of 19 nM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	A431 cells; Ba/F 3 (EGFRΔ19del/T790M/C797S) suspension cells
Concentration:	1 mM; 10 μM-0.508 nM
Incubation Time:	3 days
Result:	Inhibited proliferation in cells.

In Vivo

EGFR-IN-7 (compound 34; 5-45 mg/kg; p.o.; daily; for 13 days) shows potent anti-tumor activity in a subcutaneously implanted Ba/F 3 (Δ19del/T790M/C797S)-derived xenograft (CDX) BALB/c nude mouse resistance model[1].
EGFR-IN-7 (25 and 50 mg/kg; p.o.; daily, for 3 weeks) has a significant inhibitory effect on tumor growth in the mouse subcutaneous xenograft PC-9 (Δ19del) model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Ba/F 3 (Δ19del/T790M/C797S)-derived xenograft (CDX) BALB/c nude mice (female, 6-8 weeks, 18-22 g)[1]
Dosage:	5, 15, 45 mg/kg
Administration:	Oral administration, daily, for 13 days
Result:	Significantly increased the half-life, the amount of exposure in plasma and tissues, had good pharmacokinetic effects in mice.

Animal Model:	Subcutaneous xenograft PC-9 (Δ19del) model[1]
Dosage:	0-9 days: 50 mg/kg, 10-21 days: 25 mg/kg
Administration:	Oral administration, once a day, 3 weeks
Result:	Had a significant inhibitory effect on tumor growth, had a tumor-reducing effect and showed good antitumor efficacy.

REFERENCES

[1]. Ling WY, et, al. Prostaglandin E2 suppresses bacterial killing in alveolar macrophages by inhibiting NADPH oxidase. WO2019015655A1.

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