EGFR-IN-48

Cat. No.: HY-143445

CAS No.: 2882851-77-4

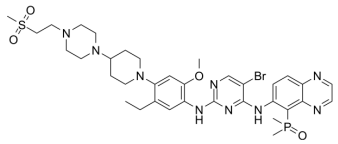
Molecular Formula: $C_{35}H_{47}BrN_9O_4PS$

Molecular Weight: 800.75

Target: EGFR

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

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



BIOLOGICAL ACTIVITY

Description

EGFR-IN-48 is a potent and orally active EGFR inhibitor with IC_{50}s of 0.193 nM, 0.251 nM, 10.4 nM for $EGFR^{d19/TM/CS}$, $EGFR^{LR/TM/CS}$, $EGFR^{WT}$, respectively. EGFR-IN-48 inhibits the proliferation of $BaF3^{EGFR\ del19/T790M/C797S}$ and $PC\text{-}9^{EGFR\ del19/T790M/C797S}$ cells with IC_{50}s of 1.526, 66.7 nM, respectively[1].

IC_{50} & Target

$EGFR^{d19/TM/CS}$	$EGFR^{LR/TM/CS}$	$EGFR^{WT}$
0.193 nM (IC_{50})	0.251 nM (IC_{50})	10.4 nM (IC_{50})

In Vitro

EGFR-IN-48 (compound 23) (10 nM) shows anti-proliferative activities against $BaF3^{EGFR\ del19/T790M/C797S}$ and $PC\text{-}9^{EGFR\ del19/T790M/C797S}$ cells with IC_{50}s of 1.526, 66.7 nM, respectively[1].

EGFR-IN-48 exhibits potent inhibitory activities against various clinically relevant EGFR mutants with IC_{50}s of 10.4, 3.1, 0.9, 0.1, 0.2, 0.3, 0.2 nM for $EGFR^{WT}$, $EGFR^{LR}$, $EGFR^{del19}$, $EGFR^{del19/TM}$, $EGFR^{LR/TM}$, $EGFR^{LR/TM/CS}$, $EGFR^{drl19/TM/CS}$, respectively[1].

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

Cell Proliferation Assay[1]

Cell Line:	$BaF3^{EGFR\ del19/T790M/C797S}$, $PC\text{-}9^{EGFR\ del19/T790M/C797S}$ cells
Concentration:	10 nM
Incubation Time:	
Result:	Showed anti-proliferative activities against $BaF3^{EGFR\ del19/T790M/C797S}$ and $PC\text{-}9^{EGFR\ del19/T790M/C797S}$ cells with IC_{50}s of 1.526, 66.7 nM, respectively.

In Vivo

EGFR-IN-48 (2 mg/kg for i.v.; 10 mg/kg for o.p.) shows good oral bioavailability and high plasma exposure in CD-1 mouse[1].

Pharmacokinetic Parameters of EGFR-IN-48 in CD-1 mouse[1].

Route	Dose (mg/kg)	V_{dss} (L/kg)	$T_{1/2}$ (h)	CL (L/h/kg)	$AUC_{0\text{-last}}$ (h*ng/mL)	C_{max} (ng/mL)	T_{max} (h)	F (%)
i.v.	2	0.7	3.3	2.4	13820.0			

p.o.	10		33811.0	6600.0	0.5	48.9

CD-1 mouse; 2 mg/kg for i.v.; 10 mg/kg for o.p.[1].

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

Animal Model:	CD-1 mouse[1]
Dosage:	
Administration:	2 mg/kg for i.v.; 10 mg/kg for o.p.
Result:	Showed good oral bioavailability and high plasma exposure.

REFERENCES

[1]. Fang H, et al. Design, synthesis and evaluation of the Brigatinib analogues as potent inhibitors against tertiary EGFR mutants (EGFRdel19/T790M/C797S and EGFRL858R/T790M/C797S). Bioorg Med Chem Lett. 2022; 9:128729.

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