EGFR-IN-82

Cat. No.: HY-149401

CAS No.: 2568086-81-5

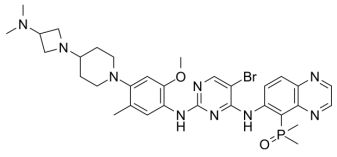
Molecular Formula: $C_{32}H_{41}BrN_9O_2P$

Molecular Weight: 694.6

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-82 (Cmpound 8a) is a potent and orally active EGFR inhibitor with IC_{50} values of 0.09 and 0.06 nM for EGFR $^{L858R/T790M/C797S}$ and EGFR$^{Del19/T790M/C797S}$, respectively. EGFR-IN-82 has no significant effect on EGFR^{WT}. EGFR-IN-82 has anti-proliferative activity and inhibits tumor formation in nude mice. EGFR-IN-82 can be used in non-small cell lung cancer research [1].

IC_{50} & Target

0.09 , 0.06 nM (EGFR$^{L858R/T790M/C797S}$, EGFR$^{Del19/T790M/C797S}$)

In Vitro

EGFR-IN-82 (compound 8a) (72 h) significantly inhibits the growth of Ba/F3-EGFR$^{Del19/T790M/C797S}$ cells with the IC_{50} value of 12.7 nM, but has no significant effect on the growth of A431 cells [1].

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

In Vivo

EGFR-IN-82 (Cmpound 8a) has better pharmacokinetic performance than Brigatinib (HY-12857) in vivo[1].

EGFR-IN-82 (15 - 30 mg/kg，Oral gavage (p.o.)，14 - 21 days) exhibits moderate inhibition on PC9-EGFR $^{Del19/T790M/C797S}$ xenograft mice model, while presents a very strong tumor growth inhibition effect on tumor with high expression of EGFR $^{Del19/T790M/C797S}$[1].

Pharmacokinetic Analysis in Male Balb/C mice Model[1]

Route	Dose (mg/kg)	C_{max} (ng/mL)	$AUC_{0-t\ (ng/mL*h)}$	$AUC_{0-\infty(ng/mL*h)}$	$t_{1/2}$ (h)	MRT (h)
p.0.	5	1574	15375	15632	3.5	6

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

Animal Model:	PC9-EGFR$^{Del19/T790M/C797S}$ xenograft mice model Ba/F3-EGFR $^{Del19/T790M/C797S}$ xenograft mice model [1]
Dosage:	15 or 30 mg/kg/day, 14-21 days
Administration:	Oral gavage (p.o.)
Result:	Exhibited moderate inhibition on tumor growth, with a tumor growth inhibition rate (TGI)

	of 21.60% at 15 mg/kg and 46.79% at 30 mg/kg in PC9-EGFR $^{Del19/T790M/C797S}$ xenograft mice model. Presented a very strong tumor growth inhibition effect with the TGI of 48.43% at low dose(15 mg/kg) and 82.60% at high dose(30 mg/kg) in xenograft mice model of Ba/F3-EGFR $^{Del19/T790M/C797S}$.

REFERENCES

[1]. 1. Yanliang Guo, et al. Design, synthesis and biological evaluation of phosphoroxy quinazoline derivatives as potential EGFRT790M/C797S inhibitors. Bioorganic & Medicinal Chemistry. Volume 90, 15 July 2023, 117338.

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