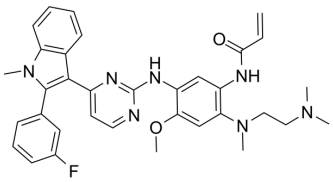
EGFR-IN-107

Cat. No.:	HY-163396
Molecular Formula:	$C_{34}H_{36}FN_7O_2$
Molecular Weight:	593.69
Target:	EGFR; Apoptosis
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

EGFR-IN-107 (compound 3r) is an orally active EGFR inhibitor with IC_{50} values of 0.4333 μM for $EGFR^{WT}$ and 0.0438 μM for $EGFR^{L858R/T790M}$. EGFR-IN-107 has anti-proliferative activity and can inhibit the proliferation of H1975 cells and induce their apoptosis. EGFR-IN-107 can be used in cancer research[1].

In Vitro

EGFR-IN-107 (compound 3r) has an IC_{50} value of 5 nM for EGFR kinase[1].
EGFR-IN-107 has an IC_{50} value of 1.9 μM against the Osimertinib (HY-15772) -resistant H1975 cell line (H1975OR)[1].
EGFR-IN-107 (1 μM, 24 h) induces apoptosis and inhibits cell migration in H1975 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	H1975 cells
Concentration:	1 μM
Incubation Time:	24h
Result:	Up-regulated the expression levels of Bax, cleaved caspase-3 and E-cadherin, and down-regulated the expression levels of matrix metalloproteinase-2 (MMP-2) and anti-apoptotic marker Bcl-2.

In Vivo

EGFR-IN-107 (compound 3r) (5, 10, 20 mg/kg; Oral gavage (p.o.); 21 days) has strong antitumor activity in H1975 xenografted mouse models and can significantly inhibit the proliferation of H1975 xenografted mouse models[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	H1975 xenograft mouse model[1]
Dosage:	5, 10, 20 mg/kg
Administration:	Oral gavage (p.o.); 21days
Result:	At 20 mg/kg showed the same antitumor effect as Osimertinib (HY-15772) (20 mg/kg). Leaded to the down-regulation of p-EGFR. Did not cause significant weight loss or tissue damage.

REFERENCES

[1]. Feng R, et al. Late-stage modification of complex drug: Base-controlled Pd-catalyzed regioselective synthesis and bioactivity of arylated osimertinibs. Sci Adv. 2024 Mar 8;10(10):eadl0026.

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