EGFR-IN-74

Cat. No.: HY-151981

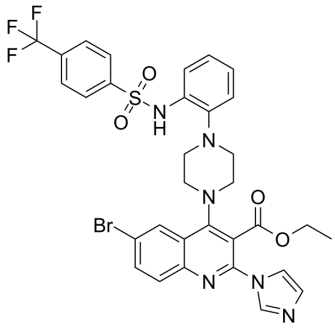
Molecular Formula: $C_{32}H_{28}BrF_3N_6O_4S$

Molecular Weight: 729.57

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-74 (Compound 21) is a potent EGFR inhibitor with an IC_{50} of 138 nM against EGFR L858R/T790M. EGFR-IN-74 induces cancer cell apoptosis[1].

IC_{50} & Target

$EGFR^{L858R/T790M}$

138 nM (IC_{50})

In Vitro

EGFR-IN-74 (Compound 21) (72 h) shows anticancer activity against cells harbouring a different status of the EGFR[1].

EGFR-IN-74 (0.01 μM; 24 and 48 h) induces apoptosis in cancer cells[1].

EGFR-IN-74 forms a stable complex with the EGFR enzyme without much structural rearrangement[1].

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

Cell Viability Assay[1]

Cell Line:	HCC827 (EGFR Del E746-A750), NCI–H1975 (EGFR L858R/T790 M), A549 (WT EGFR), A549 and BEAS-2B cells
Concentration:	
Incubation Time:	72 h
Result:	Inhibited cell viability with IC_{50}s of 0.010 ± 0.02, 0.21 ± 0.99, 0.99 ± 0.11, 2.99 ± 0.21 and 85.14 ± 0.12 μM against HCC827 (EGFR Del E746-A750), NCI–H1975 (EGFR L858R/T790 M), A549 (WT EGFR), A549 and BEAS-2B cells respectively.

Western Blot Analysis[1]

Cell Line:	HCC827 cells
Concentration:	0.01, 0.10 and 1.00 μM
Incubation Time:	
Result:	Showed an ability to phosphorylate AKT.

Apoptosis Analysis[1]

Cell Line:	HCC827 cells
Concentration:	0.010 μM (IC_{50} value)
Incubation Time:	24 and 48 h
Result:	Induced early apoptosis and late apoptosis of 26.8% and 4.2% respectively, in comparison with control, with early apoptosis of 2.1% and late apoptosis of 1.1%.

REFERENCES

[1]. Kardile RA, et al. Design, synthesis, and biological evaluation of novel quinoline derivatives as small molecule mutant EGFR inhibitors targeting resistance in NSCLC: In vitro screening and ADME predictions. Eur J Med Chem. 2023 Jan 5;245(Pt 1):114889.

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