EGFR-IN-73

Cat. No.: HY-151882

CAS No.: 2857033-34-0

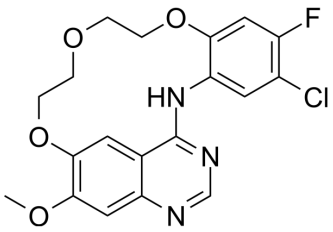
Molecular Formula: $C_{19}H_{17}ClFN_3O_4$

Molecular Weight: 405.81

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-73 (Compound 3f) is a potent inhibitor for the most common EGFR mutation EGFR Del19 with an IC_{50} of 119 nM[1].

IC_{50} & Target

$EGFR^{del19}$	$EGFR^{L858R}$	$EGFR^{WT}$	$EGFR^{L858R/T790M}$
119 nM (IC_{50})	820 nM (IC_{50})	>10 μM (IC_{50})	>10 μM (IC_{50})

In Vitro

EGFR-IN-73 (Compound 3f) (0.01 nM-10 μM; 72 h) is weakly active on the EGFR WT and a potent binder of the EGFR mutants EGFR d746-750 (Del19), EGFR d747-752/P753S, EGFR L858R, or EGFR d752-759 in addition to weaker interaction detected for other oncogenic mutants (FLT3 D835Y and FLT3 ITD D835V)[1].

EGFR-IN-73 shows excellent chemical stability under acid conditions with more than 95% after 3 h and also good stability at pH 7.4 above 80%[1].

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

Cell Proliferation Assay[1]

Cell Line:	Ba/F3 cell, EGFR WT, L858R, L858R/C797S, L858R/T790M, L858R/T790M/C797S, Del19, Del19/C797S, Del19/T790M, Del19/T790M/C797S, and Ex20 insertion mutants
Concentration:	0.01 nM-10 μM
Incubation Time:	72 h
Result:	Did not inhibit EGFR WT growth, whereas inhibited both L858R and L858R/C979S mutants in the sub-micromolar range, with IC_{50} values of 385.6 and 749.6 nM, respectively. Was even more potent in cells transduced with the Del19 and Del19/C797S mutations than on the other mutants in this series, with IC_{50} values of 197.5 and 147.9 nM, respectively.

Western Blot Analysis[1]

Cell Line:	Ba/F3 cells expressing various EGFR mutants
Concentration:	10, 100 and 1000 nM
Incubation Time:	8 h
Result:	Showed significant activity at L858R and excellent activity at the Del19 and Del19/C797S mutant EGFR. Did not affect T790M and WT EGFR.

REFERENCES

[1]. Amrhein JA, et al. Macrocyclization of Quinazoline-Based EGFR Inhibitors Leads to Exclusive Mutant Selectivity for EGFR L858R and Del19. J Med Chem. 2022 Nov 16.

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