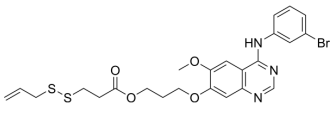
EGFR-IN-50

Cat. No.:	HY-146210
CAS No.:	2044508-48-5
Molecular Formula:	$C_{24}H_{26}BrN_3O_4S_2$
Molecular Weight:	564.51
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-50 (Compound 9h) is a potent EGFR inhibitor against L858R resistance mutation (TEL-EGFR-L858R-BaF3: GI_{50}=8 nM, TEL-EGFR-T790M-L858R-BaF3: GI_{50}=6.03 μM). EGFR-IN-50 shows anti-proliferative activity to cancer cells[1].

In Vitro

EGFR-IN-50 (0-10 μM; 72 h) selectively inhibits NSCLC cell line H3255 (expressing EGFR-L858R) compared to other tumor cells [1].

EGFR-IN-50 (1-10 μM; 72 h) induces cell cycle arrest in G0-G1 phase[1].

EGFR-IN-50 (0.039-10 μM; 4 h) inhibits the phosphorylation of EGFR in H3255 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	A549, H3255, HepG2, MCF-7, HT-29 and A431 cells
Concentration:	0-10 μM
Incubation Time:	72 hours
Result:	Showed GI_{50} values of >10, and 0.7873 μM for A549 and H3255 cells, respectively. Showed IC_{50} values of >10, 7.309, >10 and 6.703 μM for HepG2, MCF-7, HT-29 and A431 cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	H3255 cells
Concentration:	1, 5, and 10 μM
Incubation Time:	72 hours
Result:	Increased the percentage of H3255 cells in G0-G1 phase from 60.32% to 88.61%.

Western Blot Analysis[1]

Cell Line:	H3255 cells
Concentration:	0.039, 0.15, 0.62, 2.5, and 10 μM

Incubation Time:	4 hours
Result:	Inhibited the phosphorylation of EGFR in H3255 cells in a dose-dependent manner.

REFERENCES

[1]. You-Guang Zheng, et al. Design, synthesis and biological evaluation of 4-aniline quinazoline derivatives conjugated with hydrogen sulfide (H2S) donors as potent EGFR inhibitors against L858R resistance mutation. Eur J Med Chem. 2020 Sep 15;202:112522.

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