EGFR-IN-109

Cat. No.: HY-162360

CAS No.: 3019971-97-9

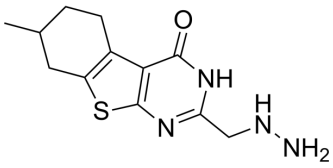
Molecular Formula: $C_{12}H_{16}N_4OS$

Molecular Weight: 264.35

Target: EGFR; Caspase; Apoptosis; Bcl-2 Family

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-109 (compound 4) is an EGFR inhibitor, with the IC_{50} values of 25.8 and 182.3 nM for $EGFR^{WT}$ and $EGFR^{T790M}$, respectively. EGFR-IN-109 arrests the cancer cells' growth at the G2/M phase and induces both early and late apoptosis. EGFR-IN-109 can be used in cancer research[1].

IC_{50} & Target

EGFR 25.8 nM (IC_{50})	$EGFR^{T790M}$ 182.3 nM (IC_{50})	Caspase-3	Caspase-9
Bax	Bcl-2		

In Vitro

EGFR-IN-109 (compound 4) (0-20 μM, 2 days) inhibits the proliferation of EGFR-expressing cells MCF-7 and A549, with IC_{50} values of 13.06 and 20.13 μM, respectively[1].

EGFR-IN-109 (13.06 μM, 24 h) promotes apoptosis of A549 cells by arresting the cell growth at the G2/M phase[1].

EGFR-IN-109 (13.06 μM, 72 h) promotes the protein expression of caspase-3, caspase-9 and BAX in A549 cells, and down-regulates the protein expression of Bcl-2[1].

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

Cell Proliferation Assay[1]

Cell Line:	MCF-7, A549, the normal cell lines W138 cell
Concentration:	0-20 μM
Incubation Time:	2 days
Result:	Showed obvious inhibitory effect on MCF-7 and A549, and weak inhibitory effect on WI-38 cell line, with the selectivity index of 2.8 and 1.8 for the two cancer cell lines, respectively.

REFERENCES

[1]. Sobh EA, et al. Computer aided drug discovery (CADD) of a thieno[2,3-d]pyrimidine derivative as a new EGFR inhibitor targeting the ribose pocket. J Biomol Struct Dyn. 2024 Mar;42(5):2369-2391.

Caution: Product has not been fully validated for medical applications. For research use only.

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