# EGFR kinase inhibitor 1

**Cat. No.:** HY-143246

**CAS No.:** 2413958-04-8

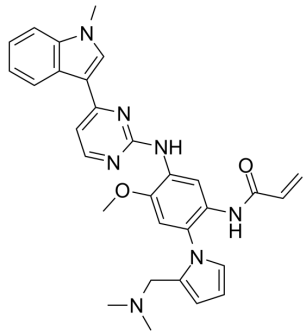
**Molecular Formula:** $C_{30}H_{31}N_7O_2$

**Molecular Weight:** 521.61

**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 kinase inhibitor 1 is a potent EGFR inhibitor with $IC_{50}$s of 37, 1.7, >300 nM for WT, l885R/T790M, L858R/T790M/C797S, respectively. EGFR kinase inhibitor 1 induces apoptosis and cell cycle arrest at G0/G1-phase. EGFR kinase inhibitor 1 inhibits the cell motility. EGFR kinase inhibitor 1 shows antiproliferative and anti-tumor activity[1].

**$IC_{50}$ & Target**

| EGFR (WT) | $EGFR^{L858R/T790M}$ | $EGFR^{L858R/T790M/C797S}$ |
|---|---|---|
| 37 nM ($IC_{50}$) | 1.7 nM ($IC_{50}$) | >300 nM ($IC_{50}$) |

**In Vitro**

EGFR kinase inhibitor 1 (compound 17i) (72 h) shows antiproliferative activity with $IC_{50}$s of 4.17, 0.052 μM for A549, H1975 cells, respectively[1].

EGFR kinase inhibitor 1 (0.05, 0.5, 5 μM; 48 h) induces apoptosis in a dose-dependent manner[1].

EGFR kinase inhibitor 1 (4, 20, 100 nM; 48 h) induces cell cycle arrest at G0/G1-phase[1].

EGFR kinase inhibitor 1 (0.5 μM; 0, 24, 48 h) inhibits the motility of the H1975 cells[1].

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

Cell Cytotoxicity Assay[1]

| | |
|---|---|
| Cell Line: | A549, H1975 cells |
| Concentration: | |
| Incubation Time: | 72 h |
| Result: | Showed antiproliferation activity with $IC_{50}$s of 4.17, 0.052 μM for A549, H1975 cells, respectively. |

Apoptosis Analysis[1]

| | |
|---|---|
| Cell Line: | H1975 cells |
| Concentration: | 0.05, 0.5, 5 μM |
| Incubation Time: | 48 h |
| Result: | Induced apoptosis in a dose-dependent manner. |

Apoptosis Analysis[1]

| | |
|---|---|
| Cell Line: | H1975 cells |
| Concentration: | 4, 20, 100 nM |
| Incubation Time: | 48 h |
| Result: | Induced cell cycle arrest at G0/G1-phase with the percentage of G0/G1-phase cells increased from 42.93% to 60.52% at 4 nM, 70.39% at 20 nM and 80.03% at 100 nM. |

## REFERENCES

[1]. Ding S, et al. Design, synthesis and biological evaluation of novel N-(3-amino-4-methoxyphenyl)acrylamide derivatives as selective EGFRL858R/T790M kinase inhibitors. Bioorg Chem. 2022 Jan;118:105471.



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