# EGFR/HER2-IN-4

**Cat. No.:** HY-147992

**CAS No.:** 1879071-89-2

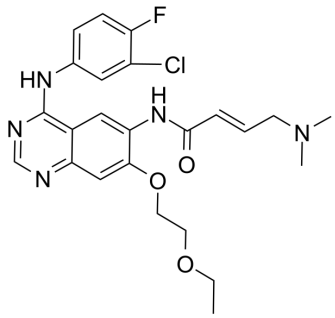
**Molecular Formula:** $C_{24}H_{27}ClFN_5O_3$

**Molecular Weight:** 487.95

**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/HER2-IN-4(compound 6d) is an orally active irreversible dual inhibitor. EGFR/HER2-IN-4 inhibits EGFR with an $IC_{50}$ value of 0.6 nM and demonstrates potent EGFR kinase inhibitory activities on L858R and T790M mutations. EGFR/HER2-IN-4 has potent antitumor efficacy in vivo and can be used for lung cancer research[1].

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

| EGFR | HER2 |
|---|---|
| 0.6 nM ($IC_{50}$) | |

**In Vitro**

EGFR/HER2-IN-4 (compound 6d) (0-10 μM, 72 hours) shows well anti-proliferative activity against human non-small cell lung cancer cell lines NCI-H1975 (T790M), HCC 827 (L858R) and human epithelial carcinoma cell lines A431[1].

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

Cell Proliferation Assay[1]

| | |
|---|---|
| Cell Line: | Human non-small cell lung cancer cell lines NCI-H1975 (T790M), HCC 827 (L858R), Human epithelial carcinoma cell lines A431 |
| Concentration: | 0-10 μM |
| Incubation Time: | 72 hours |
| Result: | Inhibited NCI-H1975 cells, HCC 827 cells, A431 cells with the $IC_{50}$values of 107 nM,0.2 nM and 20 nM respectively. |

**In Vivo**

EGFR/HER2-IN-4 (compound 6d) (orally gavage; 5.1-81.4 mg/kg; for 25 days) has good cancer suppression effect in a dose-dependent manner in the constructed NCI-H1975 tumor xenograft model[1].

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

| | |
|---|---|
| Animal Model: | BALB/c nude mice, female, 6-7 weeks of age with NCI-H1975 tumor xenograft[1] |
| Dosage: | 81.4mg/kg, 20.4mg/kg, 5.1mg/kg |
| Administration: | Oral gavage; 81.4mg/kg and 20.4mg/kg for every other day for 25 days; 5.1mg/kg for every day for 25 days |

| | |
|---|---|
| Result: | Inhibited 95.21% of tumor xenografts growth at 81.4mg/kg, 71.01% at 20.4 mg/kg, and 55.1% at 5.1 mg/kg in nude mice. |

| | |
|---|---|
| Animal Model: | BALB/c nude mice, female, 6-7 weeks of age with NCI-H1975 tumor xenograft[1] |
| Dosage: | 10 mg/kg |
| Administration: | Oral gavage; 10 mg/kg; 25 days |
| Result: | The pharmacokinetic parameters of EGFR/HER2-IN-4 oral (10 mg/kg) |

| Parameter | |
|---|---|
| Oral $T_{max}$ | 4 h |
| $C_{max}$ | 92.32 μg/L |
| $AUC_{0-a}$ | 1030.9 μg/L*h |
| IV | 5 mg/kg |
| half life | 6.8 h |
| oral bioavailability | 46.1% |

## REFERENCES

[1]. Debasis Das, et.al. In vivo efficacy studies of novel quinazoline derivatives as irreversible dual EGFR/HER2 inhibitors, in lung cancer xenografts (NCI-H1975) mice models. Bioorg Chem. 2020 Jun;99:103790.



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