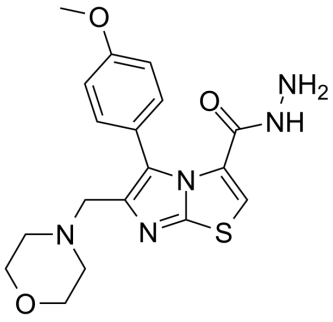
EGFR/HER2-IN-6

Cat. No.:	HY-151156
CAS No.:	2820126-50-7
Molecular Formula:	$C_{18}H_{21}N_5O_3S$
Molecular Weight:	387.46
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/HER2-IN-6 (compound 43) is an EGFR/HER2 and DHFR inhibitor. EGFR/HER2-IN-6 inhibits EGFR kinase, HER2 kinase and DHFR with IC_{50}s of 0.122, 0.078 and 0.585 μM, respectively. EGFR/HER2-IN-6 shows anticancer activity against several cancer cell lines with high safety profile and selectivity indices. EGFR/HER2-IN-8 can be used for the research of cancer[1].
IC_{50} & Target	IC50: 0.122 μM (EGFR kinase), 0.078 μM (HER2 kinase), 0.585 μM (DHFR)[1]

In Vitro

EGFR/HER2-IN-6 (0-100 μM; 72 h) shows remarkable broad spectrum cytotoxic potency, and the cytotoxic potency against MCF7 cells is more potent than SOR[1].

EGFR/HER2-IN-6 (0-20 μM; 0-48 h) affects cell cycle and indeces apoptosis of MCF-7 cells[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	HepG2 hepatocellular carcinoma, MCF7 breast cancer, HCT-116 colorectal carcinoma, PC-3 prostate, Hea cervical epithelioid carcinoma cell lines and WI-38 fetal lung fibroblast cells
Concentration:	0-100 μM
Incubation Time:	72 hours
Result:	Exhibited cytotoxic potency to HepG2, MCF7, HCT-116, PC-3 and Hela cell lines with IC_{50}s of 12.18, 2.37, 16.18, 18.39 and 9.43 μM. Showed a lower cytotoxic potency to WI-38 normal cell line with an IC_{50} value of 36.84 μM.

Apoptosis Analysis[1]

Cell Line:	MCF-7 breast cancer cell line
Concentration:	0-20 μM
Incubation Time:	0-48 hours
Result:	Through arrested cell cycle at G1/S and G1 phases and induced apoptosis in MCF-7 cells rather than necrosis to achieve anti-breast cancer activity.

In Vivo

EGFR/HER2-IN-6 (10 mg/kg; i.p. once per day for 20days) shows anti-breast cancer activity in mice[1].

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

Animal Model:	8-week-old swiss albino female mice[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 10 mg/kg once per day; for 20 days
Result:	Decreased tumor volume 65.3% and reduced body weight 7.4% after 20 days of treatment.

REFERENCES

[1]. Sabry MA, et al. New thiazole-based derivatives as EGFR/HER2 and DHFR inhibitors: Synthesis, molecular modeling simulations and anticancer activity. Eur J Med Chem. 2022 Aug 10;241:114661.

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