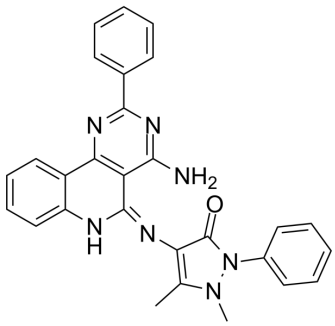
EGFR-IN-45

Cat. No.:	HY-145867
CAS No.:	2765560-03-8
Molecular Formula:	$C_{28}H_{23}N_7O$
Molecular Weight:	473.53
Target:	Topoisomerase; EGFR; CDK; Apoptosis
Pathway:	Cell Cycle/DNA Damage; 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-45 is a potent epidermal growth factor receptor (EGFR) pan inhibitor, with IC_{50}s of 0.4 μM and 1.6 μM for EGFR and CDK2, respectively. EGFR-IN-45 also inhibit Topo I and Topo II. EGFR-IN-45 arrests cancer cells in the pre-G1 phase and induces apoptosis[1].

IC_{50} & Target

CDK2	EGFR
1.6 μM (IC_{50})	0.4 μM (IC_{50})

In Vitro

EGFR-IN-45 (compound 30b) (0-10 μM; 48 hours) exhibits the high anticancer activity against Panc-1, MCF-7, HT-29 and A-549 [1].

EGFR-IN-45 (20 and 100 μM; hours) can inhibit Topo I and Topo II in a dose-dependent manner[1].

EGFR-IN-45 (0-8 μM; 24 hours) has a high percentage of cell accumulation in the pre-G1 phase in MCF-7[1].

EGFR-IN-45 (0-8 μM; 24 hours) induces high amounts of apoptosis, with a necrosis percent of 2.99[1].

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

Cell Proliferation Assay

Cell Line:	Panc-1, MCF-7, HT-29 and A-549[1]
Concentration:	0-10 μM
Incubation Time:	48 hours
Result:	Exhibited the high anticancer activity with IC_{50}s of 0.9±0.20, 0.8±0.5, 1.3±0.3, 1.2±0.2 μM in Panc-1, MCF-7, HT-29 and A-549, respectively.

Cell Cycle Analysis

Cell Line:	MCF-7[1]
Concentration:	0, 2, 4, 6 and 8 μM
Incubation Time:	24 hours
Result:	Showed a high percentage of cell accumulation (36.02%) in the pre-G1 phase in MCF-7.

Apoptosis Analysis

Cell Line:	MCF-7[1]
Concentration:	0, 2, 4, 6 and 8 μM
Incubation Time:	24 hours
Result:	Induced high amounts of apoptosis, with a necrosis percent of 2.99.

REFERENCES

[1]. Mekheimer RA, Allam SMR, Al-Sheikh MA, et al. Discovery of new pyrimido[5,4-c]quinolines as potential antiproliferative agents with multitarget actions: Rapid synthesis, docking, and ADME studies. Bioorg Chem. 2022;121:105693.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA