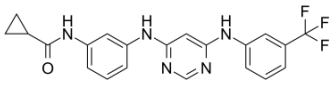
EGFR-IN-12

Cat. No.:	HY-17499
CAS No.:	879127-07-8
Molecular Formula:	$C_{21}H_{18}F_3N_5O$
Molecular Weight:	413.4
Target:	EGFR; Apoptosis
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (**604.74 mM**; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4190 mL	12.0948 mL	24.1896 mL
	5 mM	0.4838 mL	2.4190 mL	4.8379 mL
	10 mM	0.2419 mL	1.2095 mL	2.4190 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

EGFR-IN-12 is a 4,6-disubstituted pyrimidine and is a potent, ATP-competitive, irreversible and highly selective **EGFR** inhibitor with an **IC_{50}**of 21 nM. EGFR-IN-12 also inhibits mutant **$EGFR^{L858R}$** and **$EGFR^{L861Q}$** with **IC_{50}**s of 63 nM and 4 nM, respectively. EGFR-IN-12 displays strong selectivity for **EGFR** over HER4 (**IC_{50}** = 7640 nM) and a panel of 55 other kinases. EGFR-IN-12 induces cells **apoptosis** and has antitumor activity[1][2].

IC_{50} & Target				
	EGFR (WT) 21 nM (IC_{50})	$EGFR^{L858R}$ 63 nM (IC_{50})	$EGFR^{L861Q}$ 4 nM (IC_{50})	HER4 7640 nM (IC_{50})

In Vitro

EGFR-IN-12 (EGFR inhibitor 324674; 0-2 μM; 48 hours; HT29 and SW480 cells) treatment efficiently induces apoptosis at lower concentrations[2].
EGFR-IN-12 (EGFR inhibitor 324674; 0-3 μM; 3 hours; HT29 and SW480 cells) treatment inhibits EGFR activation and the downstream AKT signaling pathway in a dose-dependent manner[2].
EGFR-IN-12 (EGFR inhibitor 324674) inhibits HT29 and SW480 cell proliferation with with IC50s of 1.96 μM and 1.04

μM, respectively[2].
Pretreatment of cells with EGFR-IN-12 (compound 1; 10 μM) results in complete inhibition of wild-type receptor autophosphorylation in U-2OS cells. And the T766M mutant receptor is completely resistant to inhibition by EGFR-IN-12[1].

Apoptosis Analysis[1]

Cell Line:	HT29 and SW480 cells
Concentration:	0 μM, 1 μM, 2 μM
Incubation Time:	48 hours
Result:	Induced apoptosis in HT29 cells and SW480 cells.

Western Blot Analysis[1]

Cell Line:	HT29 and SW480 cells
Concentration:	0 μM, 0.1 μM, 0.3 μM, 1 μM, 3 μM
Incubation Time:	3 hours
Result:	Inhibited EGFR activation and the downstream AKT signaling pathway in a dose-dependent manner.

REFERENCES

[1]. Qiong Zhang, et al. Discovery of EGFR Selective 4,6-disubstituted Pyrimidines From a Combinatorial Kinase-Directed Heterocycle Library. J Am Chem Soc. 2006 Feb 22;128(7):2182-3.

[2]. Zhiwei Yu, et al. Novel Irreversible EGFR Tyrosine Kinase Inhibitor 324674 Sensitizes Human Colon Carcinoma HT29 and SW480 Cells to Apoptosis by Blocking the EGFR Pathway. Biochem Biophys Res Commun. 2011 Aug 12;411(4):751-6.

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

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