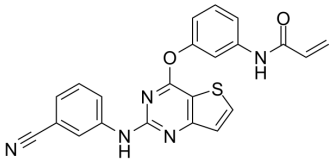
EGFR-IN-49

Cat. No.:	HY-146782
CAS No.:	2459932-81-9
Molecular Formula:	$C_{22}H_{15}N_5O_2S$
Molecular Weight:	413.45
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-IN-49 is a potent and selective EGFR inhibitor with IC_{50}s of 65.0 nM and 13.6 nM for $EGFR^{T790M}$ and $EGFR^{T790M/L858R}$, respectively. EGFR-IN-49 induces late apoptosis in a dose-dependent manner[1].

IC_{50} & Target

$EGFR^{T790M}$	$EGFR^{L858R/T790M}$
65.0 nM (IC_{50})	13.6 nM (IC_{50})

In Vitro

EGFR-IN-49 (compound 13a) (1, 10 μM) shows inhibition activity for H1975 cells with an IC_{50} of 699.2 nM[1].

EGFR-IN-49 (1 μM) shows an strong inhibitory activity to $EGFR^{T790M}$, $EGFR^{T790M/L858R}$, $EGFR^{WT}$ with IC_{50}s of 65.0, 13.6, >1000 nM, respectively[1].

EGFR-IN-49 (0.2, 4, 8 μM; 48 h) induces cell apoptosis in a dose-dependent manner in A431 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	A549, A431, Hela, MCF7, LO2 cells
Concentration:	0-50 μM
Incubation Time:	
Result:	Showed excellent anti-proliferative activities with IC_{50}s of 4.34, 3.79, 6.39, 18.99, >50 μM for A549, A431, Hela, MCF7, LO2 cells, respectively.

Apoptosis Analysis[1]

Cell Line:	A431 cells
Concentration:	0.2, 4, 8 μM
Incubation Time:	48 h
Result:	Induced cell apoptosis in a low concentration (0.33 μM) and exhibited higher percent of 16.41% % in the stage of late apoptotic at concentration of 4 μM.

REFERENCES

[1]. Xiao Z, et al. Design, synthesis and antitumor activity of novel thiophene-pyrimidine derivatives as EGFR inhibitors overcoming T790M and L858R/T790M mutations. Eur J Med Chem. 2020 Oct 1;203:112511.

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