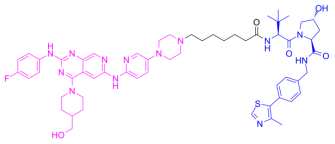
PROTAC EGFR degrader 5

Cat. No.:	HY-146422
CAS No.:	2409793-36-6
Molecular Formula:	$C_{57}H_{72}FN_{13}O_5S$
Molecular Weight:	1070.33
Target:	PROTACs; EGFR; Apoptosis
Pathway:	PROTAC; 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	PROTAC EGFR degrader 5 (Compound 10), a PROTAC EGFR degrader, potently degrades $EGFR^{Del19}$ in HCC827 cells with the DC_{50} of 34.8 nM. PROTAC EGFR degrader 5 significantly induces the apoptosis of HCC827 cells and arrest the cells in G1 phase[1].
IC_{50} & Target	EGFR 34.8 nM (DC50)
In Vitro	PROTAC EGFR degrader 5 (PROTAC 10, 0-10 μM approximately, 72 h) inhibits HCC827 cell (NSCLC cell) proliferation with an IC_{50} value of 0.22 μM[1]. PROTAC EGFR degrader 5 (1 nM-10 μM, 48 h or 4-96 h) concentration-dependently and time-dependently degrades EGFR with a DC_{50} value of 34.8 nM in HCC827 cells[1]. PROTAC EGFR degrader 5 (0.1-1 μM, 36 h) concentration-dependently inhibits EGFR and downstream Akt phosphorylation in HCC827 cells[1]. PROTAC EGFR degrader 5 (0.1-10 μM, 48 h) displays weak degradation activity on EGFR in H1975 and A549 cells[1]. PROTAC EGFR degrader 5 (0.1-1 μM, 32 h) dose-dependently induces the apoptosis of HCC827 cells and arrests the cells in G1 phase[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HCC827, H1975, A549, A431
Concentration:	0-10 μM approximately
Incubation Time:	72 h
Result:	Displayed more potent anti-proliferative activity against HCC827 cells than other cells, IC_{50} values: 0.22 μM (HCC827), > 10μM (H1975, A549, A431), respectively.

Western Blot Analysis[1]

Cell Line:	HCC827 cell
Concentration:	1 nM-10 μM

Incubation Time:	48h for concentration-dependent assay, 4-96 h for time-dependent assay
Result:	Degraded EGFR with a DC_{50} value of 34.8 nM in HCC827 cell in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	HCC827 cell
Concentration:	0.1 μM, 1 μM
Incubation Time:	32 h
Result:	Induced cell apoptosis in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	HCC827 cell
Concentration:	0.1 μM, 1 μM
Incubation Time:	32 h
Result:	Induced cell cycle arrest in G1 phase.

REFERENCES

[1]. Hao Zhang, et al. Discovery of potent epidermal growth factor receptor (EGFR) degraders by proteolysis targeting chimera (PROTAC). Eur J Med Chem. 2020 Mar 1;189:112061.

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