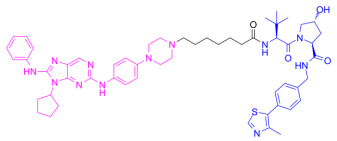
PROTAC EGFR degrader 4

Cat. No.:	HY-146349
CAS No.:	2882845-50-1
Molecular Formula:	$C_{55}H_{70}N_{12}O_4S$
Molecular Weight:	995.29
Target:	PROTACs; EGFR; Autophagy
Pathway:	PROTAC; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PROTAC EGFR degrader 4 is a potent PROTAC targeting mutant EGFR.PROTAC EGFR degrader 4 induces $EGFR^{del19}$ and $EGFR^{L858R/T790M}$ degradation with DC_{50}s of 0.51 and 126 nM, respectively. PROTAC EGFR degrader 4 significantly inhibits growth of HCC827 and H1975 cell lines with IC_{50}s of 0.83 and 203.1 nM, respectively. Induced EGFR degradation is related to autophagy[1].
In Vitro	PROTAC EGFR degrader 4 (compound P3) has antiproliferative activity against cancer cells A431 (EGFR WT), HCC827 ($EGFR^{del19}$) and H1975 ($EGFR^{L858R/T790M}$) with IC_{50}s of 245 ± 30 nM, 0.83 ± 0.30 nM and 203 ± 21 nM, respectively[1]. PROTAC EGFR degrader 4 (0.3-100 nM; 48 hours) displays excellent activity of inducing $EGFR^{del19}$ degradation with a DC_{50} values of 0.51 nM[1]. PROTAC EGFR degrader 4 (3 and 100 nM; 48 hours) dramatically reduces the phosphorylation of EGFR and its downstream effector Akt in HCC827 and H1975 cell lines[1]. PROTAC EGFR degrader 4 (10 and 100 nM; 48 hours) induces 31.07% and 44.80% of HCC827 cell line to undergo apoptosis at concentration of 10 and 100 nM, respectively; and arrests both HCC827 and H1975 cell lines at G1 phase[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hong-Yi Zhao, Discovery of potent small molecule PROTACs targeting mutant EGFR. Eur J Med Chem. 2020 Dec 15;208:112781.

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