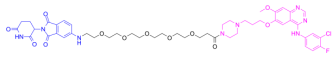
MS9427

Cat. No.:	HY-147941
CAS No.:	2772613-37-1
Molecular Formula:	$C_{48}H_{58}ClFN_8O_{12}$
Molecular Weight:	993.47
Target:	PROTACs; EGFR
Pathway:	PROTAC; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 70 mg/mL (70.46 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0066 mL	5.0329 mL	10.0657 mL
	5 mM	0.2013 mL	1.0066 mL	2.0131 mL
	10 mM	0.1007 mL	0.5033 mL	1.0066 mL

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

BIOLOGICAL ACTIVITY

Description

MS9427 is a potent PROTAC EGFR degrader with K_ds of 7.1 nM and 4.3 nM for EGFR WT and EGFR L858R, respectively. MS9427 selectively degrades the mutant but not the WT EGFR through both the ubiquitin/proteasome system (UPS) and autophagy/lysosome pathways. MS9427 potently inhibits the proliferation of NSCLC cells. MS9427 can be used for researching anticancer[1].

IC_{50} & Target

EGFR (WT)	EGFR L858R
7.1 nM (Kd)	4.3 nM (Kd)

In Vitro

MS9427 has antiproliferative activity against HCC-827 cells, with a GI_{50} of 0.87 ± 0.27 μM[1].

MS9427 (0-10 μM, 16 h) potently induces $EGFR^{Del19}$ degradation (DC_{50}=82 ± 73 nM) and inhibits EGFR phosphorylation (p-EGFR) in a concentration-dependent manner in HCC-827 cells[1].

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

Western Blot Analysis[1]

Cell Line:	HCC-827 cells

Concentration:	1, 10, 50, 100, 200, 500, 100, and 10000 nM
Incubation Time:	16 h
Result:	Inhibited EGFR phosphorylation (p-EGFR) in a concentration-dependent manner in HCC-827 cells.

Western Blot Analysis[1]

Cell Line:	HCC-827 cells
Concentration:	100 nM
Incubation Time:	1, 2, 4, 6, 12, 24, 48 h
Result:	Induced EGFR degradation in a time-dependent manner and through the UPS and autophagy/lysosome system.

REFERENCES

[1]. Yu X, et al. Exploring Degradation of Mutant and Wild-Type Epidermal Growth Factor Receptors Induced by Proteolysis-Targeting Chimeras. J Med Chem. 2022 Jun 23;65(12):8416-8443.

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