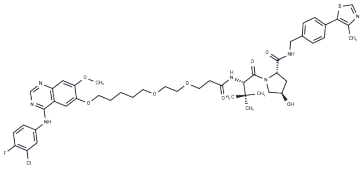
Data Sheet (Cat.No.T5437)

TargetMol
YOUR TARGET MOLECULES

Gefitinib-based PROTAC 3

Chemical Properties



CAS No. : 2230821-27-7

Formula: C47H57ClFN7O8S

Molecular Weight: 934.51

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Gefitinib-based PROTAC 3, conjugating an EGFR binding element to a VHL ligand via a linker, induces EGFR degradation with DC50s of 11.7 nM and 22.3 nM in HCC827(exon 19 del) and H3255 (L858R mutantion) cells, respectively[1].
Targets(IC50)	EGFR,PROTACs
In vitro	H3255 cells expressing L858R EGFR treated with Gefitinib-based PROTAC 3 (25 nM-10 μM; 24 hours), HCC827 cells expressing exon 19 del EGFR treated with Gefitinib-based PROTAC 3 (100 nM-10 μM; 24 hours), which enables the degradation of both exon-19 deletion EGFR as well as the mutant isoform containing the L858R activating point mutation, while sparing the WT EGFR[1].

Solubility Information

Solubility	DMSO: 10 mM, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0701 mL	5.3504 mL	10.7008 mL
5 mM	0.214 mL	1.0701 mL	2.1402 mL
10 mM	0.107 mL	0.535 mL	1.0701 mL
50 mM	0.0214 mL	0.107 mL	0.214 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Burslem GM, et al. The Advantages of Targeted Protein Degradation Over Inhibition: An RTK Case Study. Cell Chem Biol. 201. Jan 18;25(1):67-77.e3.

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