

Product Name: Gefitinib-based PROTAC® 3

Catalog No.: 7258

Batch No.: 1

CAS Number: 2230821-27-7

IUPAC Name: (2*S*,4*R*)-1-((*S*)-2-(3-(2-((5-((4-((3-Chloro-4-fluorophenyl)amino)-7-methoxyquinazolin-6-yl)oxy)pentyl)oxy)ethoxy)propanamido)-3,3-dimethylbutanoyl)-4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₄₇H₅₇ClFN₇O₈S.H₂O

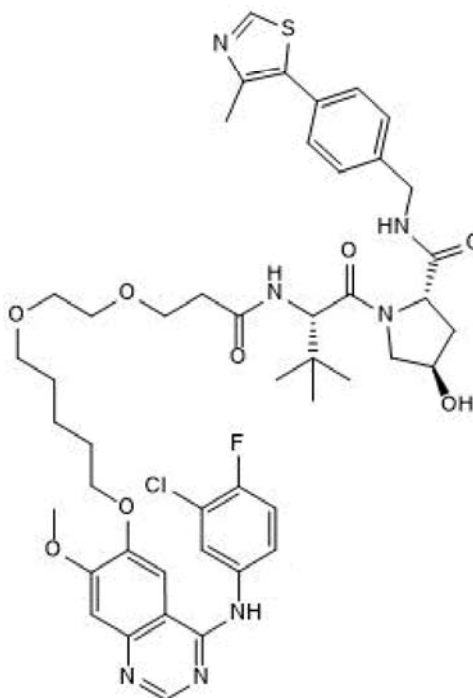
Batch Molecular Weight: 952.54

Physical Appearance: White solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.8% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon Hydrogen Nitrogen		
Theoretical	59.26	6.24	10.29
Found	58.92	6.25	10.24

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Gefitinib-based PROTAC[®] 3 is a potent EGFR PROTAC[®] Degradator. Comprises an EGFR inhibitor gefitinib (Iressa Cat.No. 3000) conjugated to a VHL ligand via a linker. Induces EGFR degradation (DC₅₀ values are 11.7 nM and 22.3 nM in HCC827 (exon 19 del) and H3255 (L858R mutation) cells, respectively). Exhibits no degradation of wild-type EGFR at concentrations up to 10 μM. PROTAC[®] is a registered trademark of Arvinas Operations, Inc., and is used under license.

Physical and Chemical Properties:

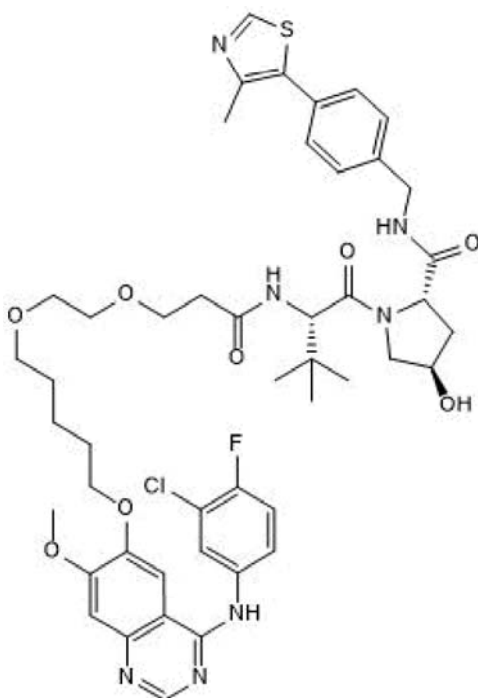
Batch Molecular Formula: C₄₇H₅₇ClFN₇O₈S.H₂O

Batch Molecular Weight: 952.54

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Burslem et al (2018) The advantages of targeted protein degradation over inhibition: an RTK case study. *Cell Chem.Biol.* **25** 67. PMID: 29129716.

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