

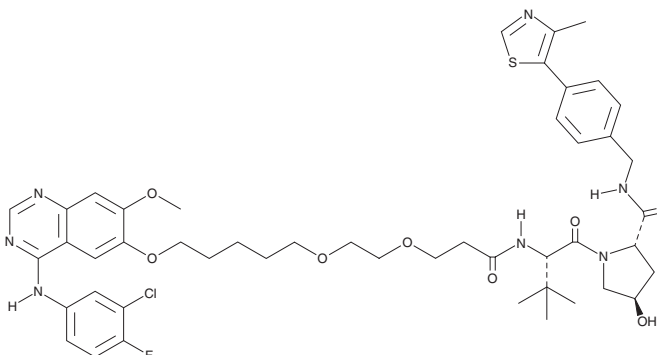
PRODUCT INFORMATION



Gefitinib-based PROTAC 3

Item No. 28597

CAS Registry No.: 2230821-27-7
Formal Name: (2S,4R)-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
Synonym: Gefitinib-based Proteolysis-targeting Chimera 3
MF: C₄₇H₅₇ClFN₇O₈S
FW: 934.5
Purity: ≥98%
UV/Vis.: λ_{max}: 252, 332 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Gefitinib-based PROTAC 3 is supplied as a crystalline solid. A stock solution may be made by dissolving the gefitinib-based PROTAC 3 in the solvent of choice, which should be purged with an inert gas. Gefitinib-based PROTAC 3 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of gefitinib-based PROTAC 3 in these solvents is approximately 10, 16, and 25 mg/ml respectively.

Gefitinib-based PROTAC 3 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gefitinib-based PROTAC 3 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Gefitinib-based PROTAC 3 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Gefitinib-based PROTAC 3 is a proteolysis-targeting chimera (PROTAC) comprised of the EGF receptor (EGFR) inhibitor gefitinib (Item No. 13166) linked to the von Hippel-Lindau (VHL) E3 ubiquitin ligase ligand VHL ligand 1 (Item No. 21591).¹ In cell-based assays, gefitinib-based PROTAC 3 induces degradation of EGFR containing the activating mutation L858R or an exon 19 deletion with half-maximal degradation (DC₅₀) values of 22.3 and 11.7 nM, respectively, but does not induce degradation of wild-type EGFR at concentrations up to 10 μM.

Reference

1. Burslem, G.M., Smith, B.E., Lai, A.C., *et al.* The advantages of targeted protein degradation over inhibition: an RTK case study. *Cell Chem. Biol.* **25(1)**, 66-77 (2018).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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