

PRODUCT INFORMATION

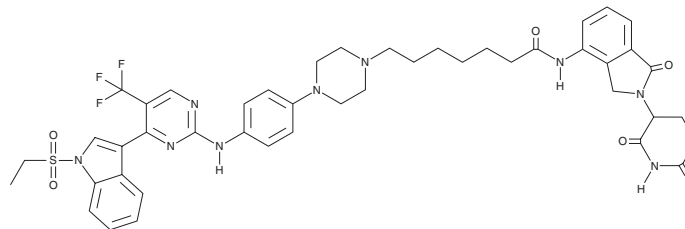


PROTAC EGFR Degrader 9

Item No. 45393

CAS Registry No.: 2992670-33-2
Formal Name: N-[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1-oxo-1H-indol-4-yl]-4-[4-[[4-[1-(ethylsulfonyl)-1H-indol-3-yl]-5-(trifluoromethyl)-2-pyrimidinyl]amino]phenyl]-1-piperazineheptanamide
Synonyms: Proteolysis-targeting Chimera EGFR Degrader-9, Proteolysis-targeting Chimera Epidermal Growth Factor Receptor Degrader-9

MF: C₄₅H₄₈F₃N₉O₆S
FW: 900.0
Purity: ≥95%
Supplied as: A 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

PROTAC EGFR Degrader 9 is supplied as a solid. A stock solution may be made by dissolving the PROTAC EGFR Degrader 9 in the solvent of choice, which should be purged with an inert gas. PROTAC EGFR Degrader 9 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

PROTAC EGFR degrader 9 is a proteolysis-targeting chimera (PROTAC) containing the mutant EGFR^{T790M/C797S}-binding compound D51 conjugated to the cereblon (CRBN) ligand lenalidomide (Item No. 14643) via an alkyl linker.¹ It selectively induces degradation of mutant EGFRs over wild-type EGFR with half-maximal degradation concentration (DC₅₀) values of 10.2, 36.5, 88.5, 75.4, and >300 nM for EGFR^{L858R/T790M/C797S}, EGFR^{Δ19/T790M/C797S}, EGFR^{L858R/T790M}, EGFR^{Δ19}, and wild-type EGFR, respectively. PROTAC EGFR degrader 9 inhibits the growth of H1975 non-small cell lung cancer (NSCLC) cells expressing mutant EGFR^{L858R/T790M/C797S} (IC₅₀ = 10.3 nM) and induces cell cycle arrest at the G₀/G₁ phase and apoptosis in the same cells. It reduces tumor size in an H1975 xenograft mouse model when administered at doses of 25 and 100 mg/kg.

Reference

1. Zhu, Y., Ye, X., Wu, Y., *et al.* Design, synthesis, and biological evaluation of novel EGFR PROTACs targeting C797S mutation. *J. Med. Chem.* **67(9)**, 7283-7300 (2024).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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