

Product Data Sheet

Product Name: PROTAC BLIMP-1 degrader-1

Cat. No.: GC80936

Chemical Properties

Cas. No.

Formula $C_{41}H_{47}BrN_8O_4$

M.Wt 795.77

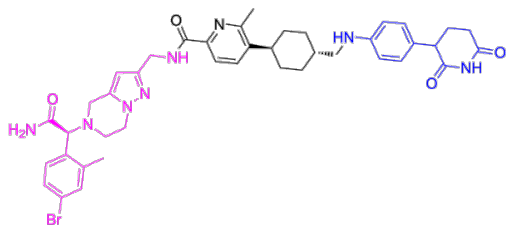
Solubility

Storage 4°C, sealed storage, away from moisture

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

PROTAC BLIMP-1 degrader-1 is an orally active BLIMP-1 PROTAC degrader with an EC₅₀ of 13.1 nM. PROTAC BLIMP-1 degrader-1 recruits the neprilysin (CRBN) E3 ligase to mediate ubiquitination and subsequent degradation of BLIMP-1α via the 26S proteasome, without affecting the BLIMP-1β isoform. PROTAC BLIMP-1 degrader-1 inhibits cancer cell proliferation and tumor growth. It can be used in research related to multiple myeloma [1]. (Pink: BLIMP-1 ligand; Blue: Ligands for E3 Ligase and Cereblon ligand; Black: linker).

Caution: Product has not been fully validated for medical applications. For research use only.

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In Vivo, PROTAC BLIMP-1 degrader-1 (Compound 49) (200 mg/kg; p.o.; twice daily; 3 days) achieves sustained BLIMP-1 degradation (54-60%) in MM1.s CDX tumors in NSG mice^[1].

In Vitro, PROTAC BLIMP-1 degrader-1 (Compound 49) (2 h) potently induces BLIMP-1 degradation in BLIMP-1-overexpressing HEK293 cells with an EC₅₀ of 13.1 nM, while exhibiting high human liver microsomal stability, moderate permeability, and low efflux potential^[1]. PROTAC BLIMP-1 degrader-1 (0.01-10.0 μM; 24 h) induces dose-dependent depletion of endogenous BLIMP-1α (but not BLIMP-1β) in OPM2 and MM1.s multiple myeloma cell lines^[1]. PROTAC BLIMP-1 degrader-1 (log₁₀ μM from -4 to 1; 5 days) drives CRBN-dependent cytostatic antiproliferative activity in OPM2 multiple myeloma cells^[1].

References:

[1]. Simmons BJ, et al. Discovery and Characterization of Novel BLIMP-1 Heterobifunctional Ligand-Directed Degraders. Journal of medicinal chemistry. 2025 Dec 11;68(23):25385-25402.

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