

Product Data Sheet

Product Name: PROTAC PARP2 degrader-1

Cat. No.: GC80920

Chemical Properties

Cas. No. 2925182-32-5

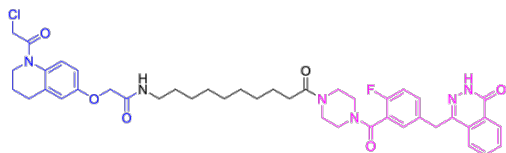
Formula $C_{43}H_{50}ClFN_6O_6$ M.Wt 801.35

Solubility DMSO: 100 mg/mL (124.79 mM; Need ultrasonic) Storage Store at -20°C

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 PARP2 degrader-1 is an orally active PARP2 PROTAC degrader with a DC_{50} of 2 μ M. PROTAC PARP2 degrader-1 potently inhibits the enzymatic activities of PARP1 (IC_{50} = 2.74 nM) and PARP2 (IC_{50} = 0.32 nM), with approximately 10-fold higher selectivity for PARP2. PROTAC PARP2 degrader-1 induces cell cycle arrest and apoptosis, and exhibits significant anti-tumor

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

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efficacy in mouse models. PROTAC PARP2 degrader-1 can be used for the research of triple-negative breast cancer ^[1]. (Pink: PARP-2 ligand; Blue: Cereblon ligand; Black: linker).

In Vivo, PROTAC PARP2 degrader-1 (Compound C8) (12.5-100 mg/kg; ig, ip; 21 days) dose-dependently suppresses MDA-MB-231 TNBC xenograft growth^[1].

In Vitro, PROTAC PARP2 degrader-1 (Compound C8) (5-10 days) potently inhibits the proliferation of MDA-MB-436, Capan-1, MDA-MB-468 and MDA-MB-231 cells, with IC₅₀ values of 0.026 μ M, 0.061 μ M, 0.69 μ M and 0.53 μ M, respectively. Moreover, its activity in non-BRCA-mutant TNBC cells is significantly higher than that of Olaparib^[1]. PROTAC PARP2 degrader-1 (C8) (0.5 μ M; 24 h) selectively degrades nuclear PARP2 in MDA-MB-231 cells without affecting the level of cytoplasmic PARP2^[1]. PROTAC PARP2 degrader-1 (0.312-5 μ M; 14 days) potently and dose-dependently inhibits colony formation of MDA-MB-231 cells^[1]. PROTAC PARP2 degrader-1 (0.156-2.5 μ M; 24-48 h) induces dose-dependent G2/M cell cycle arrest in MDA-MB-436 and MDA-MB-231 cells^[1]. PROTAC PARP2 degrader-1 (C8) (0.312-5 μ M; 48-72 h) induces dose-dependent apoptosis in MDA-MB-436 and MDA-MB-231 cells, and [the sentence is incomplete in the source text, maintained as is] compared with Olaparib^[1].

References:

[1]. Pu C, et al. Selective degradation of PARP2 by PROTACs via recruiting DCAF16 for triple-negative breast cancer. Eur J Med Chem. 2022;236:114321.

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