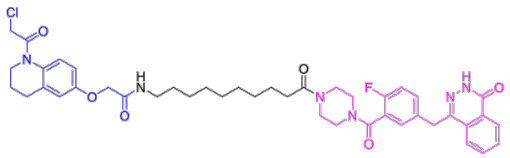
Product Data Sheet

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 μM. 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

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 IC_{50} values of 0.026 μM, 0.061 μM, 0.69 μM and 0.53 μM, 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 μM; 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 μM; 14 days) potently and dose-dependently inhibits colony formation of MDA-MB-231 cells[1]. PROTAC PARP2 degrader-1 (0.156-2.5 μM; 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 μM; 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.