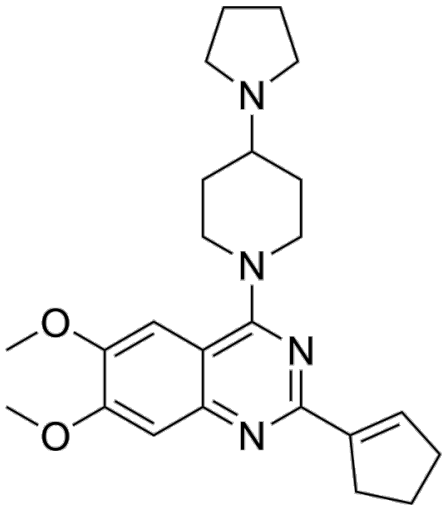
Product Data Sheet

Product Name: DNMT1 Degrader-1
Cat. No.: GC80930

Chemical Properties

Cas. No.	2962943-72-0		
Formula	$C_{24}H_{32}N_4O_2$	M.Wt	408.54
Solubility	DMSO: 25 mg/mL (61.19 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

Product Data Sheet

DNMT1 Degrader-1 is a selective DNMT1 degrader with an IC_{50} of 202.87 nM and a Kd value of 122 nM. As a molecular glue, DNMT1 Degrader-1 forms a ternary complex with DNMT1 and UHRF1, thereby triggering UHRF1 -mediated ubiquitination and degradation of DNMT1, and inhibiting the enzymatic activity of DNMT1. DNMT1 Degrader-1 inhibits the proliferation of primary acute myeloid leukemia cells and exerts anti-tumor activity. DNMT1 Degrader-1 can be used for the research of acute myeloid leukemia [1].

In Vivo, DNMT1 Degrader-1 (40 mg/kg; i.p.; once daily; for 16 consecutive days) significantly inhibits the growth of acute myeloid leukemia and prolongs survival in MV-4-11 cell-derived xenograft, WEHI-3 orthotopic transplantation, and acute myeloid leukemia (AML) patient-derived xenograft mouse models[1]. DNMT1 Degrader-1 (40 mg/kg; i.p.; daily administration; consecutive 14 days) exhibits extremely low in vivo hematological toxicity in healthy BALB/c mice following 14 days of daily intraperitoneal injection[1].

In Vitro, DNMT1 Degrader-1 (compound 6k) (0-2000 nM; 0-24 h) induces time- and concentration-dependent degradation of DNMT1 protein in MV-4-11 acute myeloid leukemia (AML) cells, with a DC_{50} of 123.4 nM[1]. DNMT1 Degrader-1 (0.25-1 μM; 24 h) does not affect DNMT1 mRNA levels in Kasumi-1 or MV-4-11 AML cells[1]. DNMT1 Degrader-1 (1 μM; 6 h) induces ubiquitination of DNMT1 protein in MV-4-11 acute myeloid leukemia (AML) cells, thereby leading to its proteasomal degradation[1]. The effect of DNMT1 Degrader-1 (1 μM; 0-24 h) on inducing ubiquitination and degradation of DNMT1 in MV-4-11 acute myeloid leukemia (AML) cells is dependent on UHRF1[1]. DNMT1 Degrader-1 (72 h) inhibits the proliferation of various AML and hematological cancer cell lines[1]. DNMT1 Degrader-1 (0.2-2 μM; 24 h) induces dose-dependent cell cycle arrest in MV-4-11 and Kasumi-1 acute myeloid leukemia (AML) cells[1].

References:

[1]. Ma L, et al. Discovery of a Selective DNMT1 Degrader with a Unique Molecular Glue Mechanism as a Potential Therapeutic Agent for Acute Myeloid Leukemia. J Med Chem. 2026;69(7):7756-7781.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA