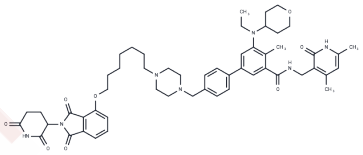
Data Sheet (Cat.No.T74602)

TargetMol

PROTAC EZH2 Degrader-1

Chemical Properties



CAS No. : 2641601-67-2

Formula: C54H67N7O8

Molecular Weight: 942.15

Storage: Keep away from moisture,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PROTAC EZH2 Degrader-1 (Compound 150d) is a potent PROTAC molecule that effectively suppresses EZH2 methyltransferase activity, with a half-maximal IC50 of 2.7 nM. EZH2 is a histone methyltransferase crucial to tumorigenesis and cancer progression, and PROTAC EZH2 Degrader-1 represents an important chemical tool for probing its therapeutic relevance.
Targets(IC50)	Histone Methyltransferase,PROTACs
In vitro	**Methods**: H128 cells were pretreated with PROTAC EZH2 Degrader-1 (2 nM), followed by treatment with carboplatin, etoposide, and teniposide for 72 hours. Cell viability was assessed using the CCK8 assay. **Results**: PROTAC EZH2 Degrader-1 significantly reduced the IC50 values of carboplatin, etoposide, and teniposide in H128-LM cells.[2]
In vivo	**Methods**: PROTAC EZH2 Degrader-1 (0.5 mg/kg, once weekly for a total of 3 doses) was administered intraperitoneally to H128-LM xenograft mice to evaluate tumor growth. **Results**: The combination of PROTAC EZH2 degrader-1 with carboplatin, etoposide, and teniposide significantly inhibited the growth of H128-LM tumors, demonstrating superior efficacy compared to chemotherapy drugs used alone.[2]

Solubility Information

Solubility	DMSO: 80 mg/mL (84.91 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0614 mL	5.307 mL	10.614 mL
5 mM	0.2123 mL	1.0614 mL	2.1228 mL
10 mM	0.1061 mL	0.5307 mL	1.0614 mL
50 mM	0.0212 mL	0.1061 mL	0.2123 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Juan Xia, et al. Targeting Enhancer of Zeste Homolog 2 for the Treatment of Hematological Malignancies and Solid Tumors: Candidate Structure-Activity Relationships Insights and Evolution Prospects. J Med Chem. 2022 May 26;65 (10):7016-7043.

Shi MX, et al., PROTAC EZH2 degrader-1 overcomes the resistance of podophyllotoxin derivatives in refractory small cell lung cancer with leptomeningeal metastasis. BMC Cancer. 2024 Apr 22;24(1):504.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481