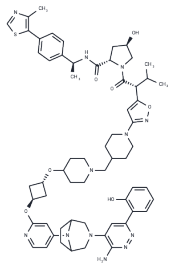
Data Sheet (Cat.No.T74686)

TargetMol

A947

Chemical Properties



CAS No. : 2378056-80-3

Formula: C61H76N12O7S

Molecular Weight: 1121.4

Storage: Keep away from moisture,Keep away from direct sunlight
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	A947 is a potent and selective SMARCA2 protein hydrolysis-targeted chimeric molecule (PROTAC) that induces the degradation of SMARCA2 by binding to VHL E3 ubiquitin ligase, with significant antitumor activity in vivo and in vitro, especially in SMARCA4-mutant non-small cell lung cancer (NSCLC) cells.
Targets(IC50)	Apoptosis,Epigenetic Reader Domain,PROTACs
In vitro	Methods: SW1573 cells were treated with A947 (500 nM) and quantitative diglycine residue analysis was performed by mass spectrometry to ensure maximal degradation of SMARCA2/4. Results: Ubiquitination of multiple lysines was observed on SMARCA2 and SMARCA4, with the strongest ubiquitination at K1450 mapping to the bromodomain of SMARCA2/4. This suggests that A947 is highly specific in degrading the intended target proteins at high concentrations. [1]
In vivo	Methods: SMARCA4mut HCC515 xenograft mice were treated with A947 (40 mg/kg, intravenous injection, two weeks) to evaluate the pharmacodynamic (PD) effect. Results: A947 caused a rapid decrease in tumor SMARCA2 protein levels (96% reduction in 4 hours) and achieved the maximum reduction at 24 hours, indicating its anti-tumor activity. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.8917 mL	4.4587 mL	8.9174 mL
5 mM	0.1783 mL	0.8917 mL	1.7835 mL
10 mM	0.0892 mL	0.4459 mL	0.8917 mL
50 mM	0.0178 mL	0.0892 mL	0.1783 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

Jennifer Cantley, et al. Selective PROTAC-mediated degradation of SMARCA2 is efficacious in SMARCA4 mutant cancers. Nat Commun. 2022 Nov 10;13(1):6814.