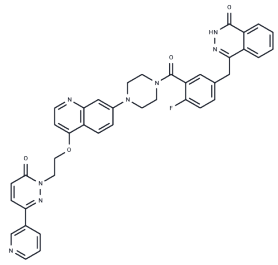
Data Sheet (Cat.No.T87104)

TargetMol

PARP1/c-Met-IN-1

Chemical Properties



CAS No. : 2944101-99-7

Formula: C40H33FN8O4

Molecular Weight: 708.74

Storage: 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	PARP1/c-Met-IN-1 (Compound 16) serves as a selective dual inhibitor targeting both PARP1 and c-Met, demonstrating IC50 values of 3.3 nM and 32.2 nM, respectively. This compound effectively induces apoptosis and causes cell cycle arrest at the G2/M phase in MDA-MB-231 cells. Furthermore, PARP1/c-Met-IN-1 displays notable antitumor activity in mouse models [1].
Targets(IC50)	Apoptosis,c-Met/HGFR,PARP
In vitro	PARP1/c-Met-IN-1 at a concentration of 1 μM enhances the thermal stability of PARP1 and c-Met, and inhibits the expression of associated proteins PAR, p-c-Met, and p-AKT, thereby affecting the interaction between PARP1 and c-Met, which leads to DNA damage [1]. In the concentration range of 0.5-1 μM, it reduces homologous recombination (HR) function in MDA-MB-231 cells by downregulating BRCA1 and Rad51 expression [1]. According to Western Blot analysis on MDA-MB-231 cells incubated for 72 hours at 1 μM, the compound increased protein stability in the temperature range of 43-55 °C and decreased BRCA1 and Rad51 expressions [1].
In vivo	In a study involving BALB/c nude mice with xenografts of MDA-MB-231 and HCT116OR tumors, the chemical compound PARP1/c-Met-IN-1 administered intraperitoneally at doses of 12.5-100 mg/kg for 28 days demonstrated tumor growth inhibition rates of 49-77% for MDA-MB-231 and 62-70% for HCT116OR tumors [1]. Pharmacokinetic analysis in BALB/c mice revealed that PARP1/c-Met-IN-1 exhibited a half-life of 1.42 hours at a 10 mg/kg dose, with peak plasma concentration (T max) at 0.25 hours and a maximum concentration (C max) of 152.47 ng/mL. The area under the curve (AUC 0-t) was 95.42 ng·h/mL and AUC 0-inf was 96.70 ng·h/mL, while the mean residence time (MRT 0-t) was 1.67 hours and MRT 0-inf was 1.77 hours. The clearance rate was calculated at 121232 mL/h/kg. For these animal models, the MDA-MB-231 and HCT116OR xenografts in BALB/c nude mice received varying dosages, with MDA-MB-231 xenograft mice treated with 12.5-50 mg/kg and HCT116OR xenograft mice with 20-100 mg/kg over 21 and 28 days respectively. These treatments resulted in tumor growth inhibition (TGI) of 49-77% in MDA-MB-231 and 62-70% in HCT116OR xenograft mice.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.411 mL	7.0548 mL	14.1095 mL
5 mM	0.2822 mL	1.411 mL	2.8219 mL
10 mM	0.1411 mL	0.7055 mL	1.411 mL
50 mM	0.0282 mL	0.1411 mL	0.2822 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.