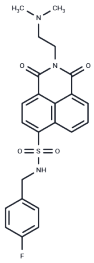
Data Sheet (Cat.No.T87221)

TargetMol

PLK1-IN-10

Chemical Properties



CAS No. : 2991469-21-5

Formula: C23H22FN3O4S

Molecular Weight: 455.50

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	PLK1-IN-10 (Compound 4Bb), an orally active inhibitor of the PLK1 PBD (polo-box domain), inhibits the interaction between PLK1 and the cell division regulator protein 1 (PRC1) and reduces the protein expression of the CDK1-Cyclin B1 complex. Additionally, PLK1-IN-10 interacts with glutathione (GSH), enhancing cellular oxidative stress and promoting cell death [1].
Targets(IC50)	PLK
In vitro	PLK1-IN-10 significantly induces cell cycle arrest at the G2/M phase in A549 and A549/DDP cells, inhibiting their proliferation when used at concentrations ranging from 0 to 6 μM over 48 hours [1]. At 20 μM, PLK1-IN-10 stabilizes the PLK1 protein in A549/DDP cells across various temperatures [1]. Additionally, a 5 μM concentration of PLK1-IN-10 over 24 hours reacts with GSH, yielding a dose- and time-dependent fluorescence response, which is more pronounced in A549/DDP cells [1]. Exposure to 0 to 9 μM of PLK1-IN-10 for 48 hours elevates intracellular ROS levels in A549/DDP cells [1]. The interaction between PLK1 and PRC1 is inhibited by a 10 μM dose of PLK1-IN-10 over 48 hours, resulting in multinucleation [1]. PLK1-IN-10 exhibits anticancer activity against NCI-H1975 cells, with an IC50 value of 7.83 μM [1]. In Western blot analysis, PLK1-IN-10 treatment of A549 and A549/DDP cells at concentrations of 0, 1.5, 3, and 6 μM for 48 hours resulted in the downregulation of PLK1, CDK1, Cyclin B1, the CDK1-Cyclin B1 complex, and Cdc25 protein expression [1]. Cell cycle analysis of A549 and A549/DDP cells exposed to the same concentrations for 48 hours indicated a significant increase in cells in the G2/M phase, leading to mitotic catastrophe [1].
In vivo	PLK1-IN-10 administered at doses of 30 and 50 mg/kg intraperitoneally every other day for 32 days significantly inhibited tumor growth in a A549/DDP resistant xenograft mouse model, with the higher dose inducing tumor regression [1]. Additionally, an oral administration of PLK1-IN-10 at 30 mg/kg on the same schedule effectively suppressed tumor growth in the NCI-H1975 resistant xenograft model over a period of 20 days [1]. Efficacy studies in these animal models revealed that the 30 mg/kg dose group achieved a total tumor inhibition (TGI) rate of 42%, while the 50 mg/kg group attained a TGI of 62%, significantly extending median survival times from 38 days in the control group to 53 and 62 days in the 30 and 50 mg/kg groups, respectively. Furthermore, the compound did not cause significant changes in mouse body weight or major organ function, except for a slight difference observed in the heart index at 30 mg/kg. A significant reduction in Ki-67 positive cells and no noteworthy changes in H&E staining

In vivo	of major organs further confirmed the compound's biosafety.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1954 mL	10.9769 mL	21.9539 mL
5 mM	0.4391 mL	2.1954 mL	4.3908 mL
10 mM	0.2195 mL	1.0977 mL	2.1954 mL
50 mM	0.0439 mL	0.2195 mL	0.4391 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.