Data Sheet (Cat.No.T206478)

TargetMol

PLK1-IN-12

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

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-12 is a highly selective, orally active PLK1 inhibitor with an IC50 of 20 nM. It demonstrates greater selectivity for PLK1 over PLK2 (IC50: >10000 nM) and PLK3 (IC50: 3953 nM). PLK1-IN-12 exhibits anticancer efficacy across a wide range of cell lines and is applicable to anti-leukemia research.
Targets(IC50)	PLK
In vitro	PLK1-IN-12 (Compound B31) exhibits antiproliferative activity across several cell lines: H460 (IC_{50}: 12 nM), A549 (IC_{50}: 32 nM), SW620 (IC_{50}: 8.2 nM), HL-60 (IC_{50}: 59 nM), K562 (IC_{50}: 0.08 nM), and CCRF-CEM (IC_{50}: 41 nM), at concentrations ranging from 0.508 nM to 10 μM over 24 hours. It shows rapid clearance in human, mouse, and dog liver microsomes (Cl int: 68.3-210 μL/min/mg protein) at 1 μM over 5 minutes. The compound demonstrates low cytotoxicity against HEK293T cells (68% inhibition) within the 0.1-30 μM range over 24 hours. It exhibits low inhibition of the hERG potassium channel (IC_{50}: 44.2 μM), suggesting minimal cardiotoxicity risk. Additionally, at 10 μM for 5-30 minutes, PLK1-IN-12 does not inhibit CYP1A2, CYP3A4, CYP2D6, CYP2B6, or CYP2C8 and only weakly inhibits CYP2C9 and CYP2C19, indicating stability in human and rat hepatocytes and a low potential for drug interactions.
In vivo	PLK1-IN-12 (Compound B31) effectively inhibits tumor growth in a subcutaneous K562 cell xenograft mouse model when administered at 10/20 mg/kg via oral gavage twice a week over 11 days. Additionally, administering PLK1-IN-12 at 500 mg/kg via oral gavage daily for 7 days does not result in tissue damage or toxicity in mouse organs.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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