PLK1-IN-6

Cat. No.: HY-149100

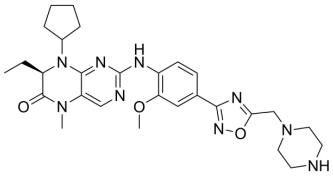
Molecular Formula: $C_{28}H_{37}N_9O_3$

Molecular Weight: 547.65

Target: Polo-like Kinase (PLK); Epigenetic Reader Domain

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PLK1-IN-6 is a potent and selective PLK1 inhibitor, with an IC_{50} of 0.45 nM. PLK1-IN-6 shows significant anti-proliferative activities against cancer cells[1].			
IC_{50} & Target	PLK1 0.45 nM (IC_{50})	PLK2 5.73 nM (IC_{50})	PLK3 7.56 nM (IC_{50})	BRD4 156.3 nM (IC_{50})
In Vitro	PLK1-IN-6 (compound 21 g) shows significant anti-proliferative activities against four tumor-derived cell lines (MCF-7 IC_{50} =8.64 nM, HCT-116 IC_{50}=26.0 nM, MDA-MB-231 IC_{50}=14.8 nM and MV4-11 IC_{50}=47.4 nM)[1]. PLK1-IN-6 shows moderate metabolism and the half-life in human liver microsome is 25.7 min[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	PLK1-IN-6 (compound 21 g) (1 mg/kg; i.v.) exhibits a good half-life (10.1 h) and area under plasma concentration curves in Sprague Dawley rats[1]. PLK1-IN-6 (10 mg/kg; i.g.) exhibits low clearance values and high plasma exposure (26800 ng•h/mL), with favorable bioavailability (11.4%) in Sprague Dawley rats[1]. PLK1-IN-6 (10 mg/kg; i.g.) exhibits long half-life (2.73 h), high plasma exposure (11227 ng•h/mL) and excellent bioavailability (77.4%) in Balb/c mice[1]. PLK1-IN-6 (20 mg/kg; i.g.) shows no apparent toxicity in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Li Z, et, al. Design, synthesis, and biological evaluation of novel dihydropteridone derivatives possessing oxadiazoles moiety as potent inhibitors of PLK1. Eur J Med Chem. 2023 May 5;251:115242.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA