PLK1/BRD4-IN-5

Cat. No.: HY-158031

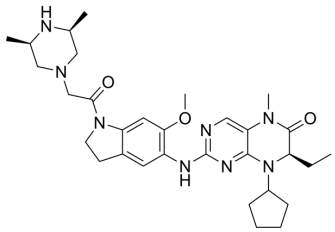
Molecular Formula: $C_{31}H_{44}N_8O_3$

Molecular Weight: 576.73

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

Pathway: Apoptosis; Cell Cycle/DNA Damage; Epigenetics

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



BIOLOGICAL ACTIVITY

Description

PLK1/BRD4-IN-5 (Compound SC10) is an orally active PLK1 and BRD4 inhibitor with IC_{50} values of 0.3 nM and 60.8 nM, respectively. PLK1/BRD4-IN-5 can induce MV4-11 cell block in S phase and apoptosis) in a dose-dependent manner. PLK1/BRD4-IN-5 can be used in cancer research[1].

IC_{50} & Target

PLK1	BRD4
0.3 nM (IC_{50})	60.8 nM (IC_{50})

In Vitro

PLK1/BRD4-IN-5 (Compound SC10) shows significant anti-proliferation activity against all three tumor cell lines (MDA-MB-231 IC_{50} = 17.3 nM, MDA-MB-361 IC_{50} = 8.4 nM, MV4-11 IC_{50} = 5.4 nM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0.675 nM, 1.35 nM, 2.7 nM, 5.4 nM and 10.8 nM
Incubation Time:	72 h
Result:	Exhibited an increasing rate of apoptosis ranging from 6.65 to 58.35 % in a concentration-dependent manner.

In Vivo

Pharmacokinetic parameters of compounds in Sprague-Dawley Rats [1]

Route	Dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	AUC_{0-t} (ng•h/mL)	Cl (mL•min/kg)	MRT_{0-t} (h)	Vdss (L/kg)	F (%)
i.g.	10	3.75	2.00	108	657	/	5.26	/	21.4
i.v.	1	4.9	/	/	303	53.6	4.39	16.4	/

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Liu J, et al. Discovery and optimization of dihydropteridone derivatives as novel PLK1 and BRD4 dual inhibitor for the treatment of cancer. Bioorg Med Chem. 2024;101:117

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