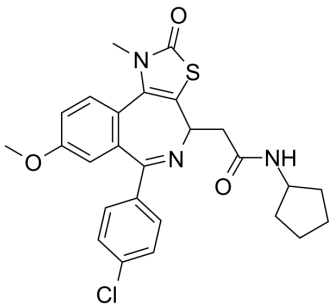
BRD4 Inhibitor-18

Cat. No.:	HY-146660
CAS No.:	2451219-73-9
Molecular Formula:	$C_{26}H_{26}ClN_3O_3S$
Molecular Weight:	496.02
Target:	c-Myc; Epigenetic Reader Domain; Apoptosis
Pathway:	Apoptosis; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BRD4 Inhibitor-18 is a highly potent BRD4 inhibitor with an IC_{50} value of 110 nM. BRD4 Inhibitor-18 has a hydrophobic acetylcyclopentanyl side chain. BRD4 Inhibitor-18 can significantly suppress the proliferation of MV-4-11 cells with high BRD4 level. BRD4 Inhibitor-18 has apoptosis-promoting and G0/G1 cycle-arresting activity[1].

IC_{50} & Target

BRD4
110 nM (IC_{50})

In Vitro

BRD4 Inhibitor-18 (compound 13f) (0-10 μM; 72 hours) can suppress the proliferation of HL-60 and MV-41 cells[1].
BRD4 Inhibitor-18 (0.5 and 5 μM; 12 hours) significantly arrests MV-4-11 cells in G1 phase in a dose-dependent manner[1].
BRD4 Inhibitor-18 (0.5 and 5 μM; 12 hours) effectively induces apoptosis of MV-4-11 cells in a dose-dependent manner[1].
BRD4 Inhibitor-18 (0.5 and 5 μM; 4 hours) inhibits the expression of c-Myc protein in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	HL-60 and MV-4-11[1]
Concentration:	0-10 μM
Incubation Time:	72 hours
Result:	Suppressed the proliferation of HL-60 and MV-41 cells with IC_{50}s of 5.52 μM and 0.42 μM.

Cell Cycle Analysis

Cell Line:	MV-4-11 cells[1]
Concentration:	0.5 and 5 μM
Incubation Time:	12 hours
Result:	Significantly arrested MV-4-11 cells in G1 phase, with the G0/G1 cell proportions of 50.87% and 70.66% at 0.5 and 5 μM.

Apoptosis Analysis

Cell Line:	MV-4-11 cells[1]
Concentration:	0.5 and 5 μM
Incubation Time:	12 hours
Result:	Effectively induced apoptosis of MV-4-11 cells, with apoptosis rates of 12.39% and 73.24% at at 0.5 and 5 μM.

Western Blot Analysis

Cell Line:	MV-4-11 cells[1]
Concentration:	0.5 and 5 μM
Incubation Time:	4 hours
Result:	Inhibited the expression of c-Myc protein in a dose-dependent manner.

REFERENCES

[1]. Li Q, Li J, Cai Y, et al. Design, synthesis and biological evaluation of novel 6-phenyl-1,3a,4,10b-tetrahydro-2H-benzo[c]thiazolo[4,5-e]azepin-2-one derivatives as potential BRD4 inhibitors. Bioorg Med Chem. 2020;28(15):115601.

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