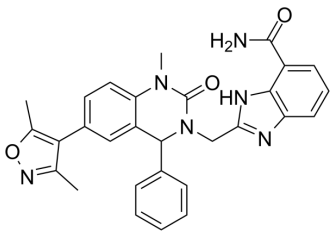
PARP1/BRD4-IN-1

Cat. No.:	HY-144338
CAS No.:	2758117-74-5
Molecular Formula:	$C_{29}H_{26}N_6O_3$
Molecular Weight:	506.56
Target:	Epigenetic Reader Domain; PARP; Apoptosis; DNA/RNA Synthesis
Pathway:	Epigenetics; Cell Cycle/DNA Damage; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PARP1/BRD4-IN-1 is a potent and high selective PARP1/BRD4 inhibitor (IC_{50}s of 49 and 202 nM in PARP1 and BRD4, respectively). PARP1/BRD4-IN-1 represses the expression and activity of PARP1 and BRD4 to synergistically inhibit the malignant growth of pancreatic cancer cells[1].

IC_{50} & Target

BRD4	PARP1
202 nM (IC_{50})	49 nM (IC_{50})

In Vitro

PARP1/BRD4-IN-1 (compound III-7) (0-2 μM; 3-7 days) has potent inhibition of the growth of cancer cell lines[1].
PARP1/BRD4-IN-1 (0, 1, 2 μM; 4 days) can significantly inhibit the expression of PARP1 and BRD4 at 2 μM in SW1990 cells[1].
PARP1/BRD4-IN-1 (1, 2 μM; 4 days) arrests the cell cycle at G_0/G_1 and G_2/M phase in SW1990 cells[1].
PARP1/BRD4-IN-1 (0, 1, 2 μM; 4 days) has the potent efficacy on the apoptosis of SW1990 cells at 2 μM[1].
PARP1/BRD4-IN-1 (1, 2 μM; 4 days) regulates the expression of HEXIM1, c-Myc, FOXO1, MDC1 and TOPBP1 to enhance the inhibition of DNA repair in SW1990 cells[1].

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

Cell Proliferation Assay

Cell Line:	CFPAC-1, SW1990, MDA-MB-231, MDA-MB-468, HCT-116, THP-1[1]
Concentration:	0-2 μM
Incubation Time:	3, 4 or 7 days
Result:	Showed potent inhibition of the growth of cancer cell lines.

Western Blot Analysis

Cell Line:	SW1990[1]
Concentration:	0, 1, 2 μM
Incubation Time:	4 days
Result:	Significantly inhibited the expression of PARP1 and BRD4 at 2 μM.

Cell Cycle Analysis

Cell Line:	SW1990[1]
Concentration:	1, 2 μM
Incubation Time:	4 days
Result:	Arrested the cell cycle at G_0/G_1 and G_2/M phase.

Apoptosis Analysis

Cell Line:	SW1990[1]
Concentration:	0, 1, 2 μM
Incubation Time:	4 days
Result:	Showed potent efficacy on the apoptosis of SW1990 cells at 2 μM.

In Vivo

PARP1/BRD4-IN-1 (30mg/kg; intraperitoneal injection for 28 days) can significantly inhibit the tumor size and weight, and does not cause significant damage of the kidney, lung, spleen, liver and heart in mice[1].

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

Animal Model:	Athymic nude mice (6-7 weeks, 18-20 g, SW1990-injected)[1]
Dosage:	30mg/kg
Administration:	Intraperitoneal injection for 28 days
Result:	Significantly inhibited the tumor size and weight and did not cause significant damage of the kidney, lung, spleen, liver and heart.

REFERENCES

[1]. Huang SH, et al. Design, synthesis and mechanism studies of novel dual PARP1/BRD4 inhibitors against pancreatic cancer. Eur J Med Chem. 2022;230:114116.

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