PARP1-IN-12

Cat. No.: HY-150765

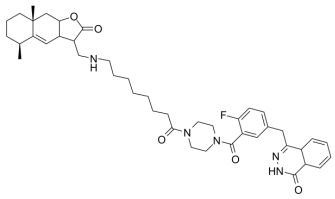
Molecular Formula: $C_{43}H_{56}FN_5O_5$

Molecular Weight: 741.93

Target: PARP; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

PARP1-IN-12 is a potent PARP1 inhibitor with an IC_{50} of 2.99 nM. PARP1-IN-12 exhibits antiproliferative activity, can induce cell apoptosis and cause cycle arrest at G2/M phase. PARP1-IN-12 also can induce DNA double strand breaks (DSBs) in BRCA-deficient cells[1].

IC_{50} & Target

PARP-1
2.99 nM (IC_{50})

In Vitro

PARP1-IN-12 (compound 20e) (0.1, 0.3, 1 μM; 48 h) exhibits activities of antiproliferation and selectively killing BRCA-deficient cells, can also induce DNA double strand breaks (DSBs) in BRCA-deficient cells in a concentration-dependent manner[1].
PARP1-IN-12 (10 μM, 48 h) enhances the protein levels of phosphorylated Chk1[1].
PARP1-IN-12 (1, 3, 10 μM; 48 h) activats cell cycle checkpoints, then induces G2/M arrest in BRCA-deficient cells and (1, 5, 10 μM; 96 h) also induces MDA-MB-436 cells apoptosis in a concentration-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	UWB1.289 (BRCA1-deficient), UWB1.289+BRCA1 (BRCA1 restored), MDA-MB-436 (BRCA1-deficient), Capan-1 (BRCA2-deficient) cells
Concentration:	0.1, 0.3, 1 μM
Incubation Time:	48 h
Result:	Showed antiproliferative activity with IC_{50}s of 0.27, 1.43, 0.87, 0.19 μM for UWB1.289, UWB1.289+BRCA1, Capan-1 and MDA-MB-436 cells, respectively.

Immunofluorescence[1]

Cell Line:	MDA-MB-436, Capan-1 cells
Concentration:	10 μM
Incubation Time:	48 h
Result:	Enhanced the protein levels of phosphorylated Chk1 but the levels of corresponding total

	proteins were not altered.

Cell Cycle Analysis[1]

Cell Line:	Capan-1 cells
Concentration:	1, 3, 10 μM
Incubation Time:	48 h
Result:	Induced G2/M arrest in BRCA-deficient cells in a concentration-dependent manner.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-436 cells
Concentration:	1, 5, 10 μM
Incubation Time:	96 h
Result:	Caused apoptosis in a concentration-dependent manner in MDA-MB-436 cells.

Western Blot Analysis[1]

Cell Line:	MDA-MB-436, Capan-1 cells
Concentration:	0.1, 0.3, 1 μM
Incubation Time:	48 h
Result:	Induced increased levels of γH2AX in a concentration-dependent manner in both MDA-MB-436 and Capan-1 cells.

REFERENCES

[1]. Kayumov M, et al. Design, synthesis and pharmacological evaluation of new PARP1 inhibitors by merging pharmacophores of olaparib and the natural product alantolactone. Eur J Med Chem. 2022 Jun 28;240:114574.

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