PARP-1-IN-3

Cat. No.: HY-149800

CAS No.: 2976342-33-1

Molecular Formula: $C_{21}H_{17}BrN_2O_3$

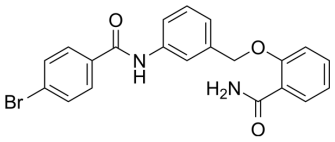
Molecular Weight: 425.28

Target: PARP; Apoptosis; Caspase

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (293.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3514 mL	11.7570 mL	23.5139 mL
	5 mM	0.4703 mL	2.3514 mL	4.7028 mL
	10 mM	0.2351 mL	1.1757 mL	2.3514 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PARP-1-IN-3, a benzamide derivative, is a potent PARP-1 inhibitor with IC_{50} values of 0.25 nM and 2.34 nM for PARP-1 and PARP-2, respectively. PARP-1-IN-3 induces apoptosis and arrest cell cycle at G2/M phase. PARP-1-IN-3 can be used in research of cancer[1].

IC_{50} & Target

PARP-1	PARP-2
0.25 nM (IC_{50})	2.34 nM (IC_{50})

In Vitro

PARP-1-IN-3 (compound 13f; 48 h) has potent anticancer activity with IC_{50} values of 0.30, 2.83, 33.69, and 486.87 μM for HCT116, DLD-1, SW480, and NCM460 cells, respectively[1].

PARP-1-IN-3 (0.3-3 μM; 24-48 h) inhibits colony formation and migration of HCT116 cells[1].

PARP-1-IN-3 (0.3-3 μM; 48 h) induces accumulation of DNA double-strand breaks in HCT116 cells[1].

PARP-1-IN-3 (0.3-7.5 μM; 48-72 h) arrests cell cycle at G2/M phase, reduces mitochondrial membrane potential and ultimately induce apoptosis in HCT116 cells[1].

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

Apoptosis Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.3, 1.5, 7.5 μM
Incubation Time:	48 and 72 h
Result:	Increased the percentage of total apoptotic cells from 1.05% (control group) to 79.49% (7.5 μM).

Cell Cycle Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.3, 1.5, 7.5 μM
Incubation Time:	48 and 72 h
Result:	Blocked the cell cycle progression at G2/M phase.

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.3, 1, 3 μM
Incubation Time:	48 h
Result:	Increased expression levels of γH2AX in a concentration-dependent manner.

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.3, 1, 3 μM
Incubation Time:	48 h
Result:	Increased the expression levels of Bax, cleaved Caspase-3 and cleaved-PARP, and decreased the expression level of Bcl-2.

REFERENCES

[1]. Lu G, et, al. Discovery of novel benzamide derivatives bearing benzamidophenyl and phenylacetamidophenyl scaffolds as potential antitumor agents via targeting PARP-1. Eur J Med Chem. 2023 May 5;251:115243.

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