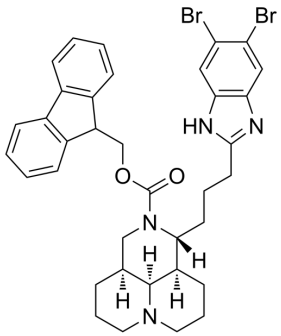
TOPOI/PARP-1-IN-1

Cat. No.:	HY-158138
CAS No.:	2948352-16-5
Molecular Formula:	$C_{36}H_{38}Br_2N_4O_2$
Molecular Weight:	718.52
Target:	PARP; Topoisomerase; 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

TOPOI/PARP-1-IN-1 (Compound B6) is an orally active, low cytotoxic TOPOI/PARP dual inhibitor with an IC_{50} value of 0.09 μM for PARP1. TOPOI/PARP-1-IN-1 can effectively inhibit the proliferation and migration of cancer cells. TOPOI/PARP-1-IN-1 also causes cell cycle arrest in the G0/G1 phase and induces apoptosis. The tumor growth inhibition rate (TGI) of TOPOI/PARP-1-IN-1 in mice was 75.4%[1].

IC_{50} & Target

IC_{50}: 0.09 μM (PARP1)[1].

In Vitro

TOPOI/PARP-1-IN-1 (1.25-5 μM; 48 h) inhibits the proliferation and migration of HGC-27 cells in a dose-dependent manner[1].
TOPOI/PARP-1-IN-1 (1.25-5 μM; 24 h) induces apoptosis in a dose-dependent manner in HGC-27 cells[1].
TOPOI/PARP-1-IN-1 induces DNA damage and decreases TOPOI expression in HGC-27 cells[1].
TOPOI/PARP-1-IN-1 exhibits anti-tumor activity, with IC_{50} values of 7.21 μM, 9.48 μM, 3.80 μM and 2.49 μM against HeLa, A549, HepG-2 and HGC-27 cells, respectively[1].

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

Cell Proliferation Assay[1]

Cell Line:	HGC-27 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	48 h
Result:	Demonstrated dose-dependent inhibitory effect of B6 on the clonogenicity of HGC-27 cells.

Apoptosis Analysis[1]

Cell Line:	HGC-27 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	24 h
Result:	Induced 15.5%, 43.1% and 76.0% of cell apoptosis when the concentrations were 1.25, 2.5, and 5 μM respectively.

In Vivo

TOPOI/PARP-1-IN-1 (40 mg/kg; p.o.; once every two days, for a total of 17 days) inhibits HGC-27 tumor growth in xenograft

tumor mice model[1].

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

Animal Model:	Female BALB/c nude mice (xenograft tumor model of HGC-2 cells)[1].
Dosage:	40 mg/kg
Administration:	Oral administration; once every two days, for a total of 17 days
Result:	Exhibited tumor growth inhibition rate (TGI) of 75.4% in mice.

REFERENCES

[1]. Qiu G, et al. Design, synthesis and biological evaluation of matrine contains benzimidazole derivatives as dual TOPOI and PARP inhibitors for cancer therapy. Eur J Med Chem. 2024 Mar 27;270:116348.

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