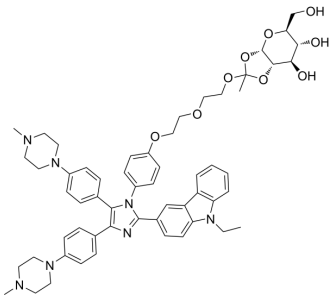
Anticancer agent 84

Cat. No.:	HY-151471
CAS No.:	2714510-72-0
Molecular Formula:	$C_{57}H_{67}N_7O_9$
Molecular Weight:	994.18
Target:	c-Myc
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Anticancer agent 84 is an anticancer agent. Anticancer agent 84 represses the transcription of c-MYC by stabilizing the G-quadruplex (G4) structure. Anticancer agent 84 can be used for the research of cancer[1].
IC_{50} & Target	IC50: 5.0 μM (HepG2); 3.9 μM (MDA-MB-231); ⊠100 μM (HBL-100)[1]

In Vitro

Anticancer agent 84 has cytotoxicity in cancer cells (HepG2, MDA-MB-231) and normal cells (HBL-100) with IC_{50} values of 5.0 μM, 3.9 μM and ⊠100 μM, respectively[1].

Anticancer agent 84 displays good c-MYC G4 binding and stabilization abilities[1].

Anticancer agent 84 blocks c-MYC transcription by targeting the promoter G4, leading to c-MYC-dependent cancer cell death in triple-negative breast cancer cell MDA-MB-23[1].

Anticancer agent 84 (2 μM) significantly disrupts the binding of the three proteins (NM23-H2, BLM and DHX36) to c-MYC G4 with IC_{50} values of 0.16 μM, 2.3 μM and 7.0 μM, respectively[1].

Anticancer agent 84 (0-5 μM) impacts c-MYC-related events in TNBC, including proliferation, invasion, cell cycle, and apoptosis[1].

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

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	48 h
Result:	Decreased the mRNA levels of c-MYC.

Cell Cycle Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	24 h
Result:	Could arrest MDA-MB-231 cells at the Sub G0 phase.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	24 h
Result:	Induced early apoptosis and necrosis in MDA-MB-231 cells.

RT-PCR[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5 μM
Incubation Time:	24 h
Result:	Exhibited relatively weak effects on other genes and suppressed c-MYC transcription by targeting c-MYC G4.

Cell Cytotoxicity Assay[1]

Cell Line:	MDA-MB-231 cells
Concentration:	
Incubation Time:	48 h
Result:	Displayed good cytotoxicity against various cancer cells, including MDA-MB-231, MCF-7, HepG2, and SiHa and displayed less cytotoxicity against normal HBL-100 and NCM460 cells.

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	10 days
Result:	Exhibits good antiproliferative activity.

Cell Invasion Assay[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1.25, 2.5, 5 μM
Incubation Time:	24 h
Result:	Obviously decreased the invasion with an IC_{50} value of 1.7 μM.

In Vivo

Anticancer agent 84 (i.p.; 2.5 mg/kg; daily; for 24 days) significantly inhibits tumor growth in the MDAMB-231 mouse xenograft model accompanied by c-MYC downregulation[1].

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Animal Model:	BALB/C-nu/nu mice(female, five-week-aged, 10–12 g)[1]

Dosage:	2.5 mg/kg
Administration:	intraperitoneally, daily, for 24 days
Result:	Exhibited potent antitumor activity and could act as a c-MYC repressor in vivo.

REFERENCES

[1]. Mao-Lin Li, et al. Design, Synthesis, and Evaluation of New Sugar-Substituted Imidazole Derivatives as Selective c-MYC Transcription Repressors Targeting the Promoter G-Quadruplex. J Med Chem. 2022 Sep 19.

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