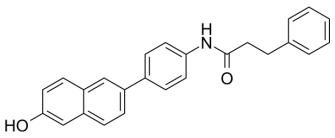
Topoisomerase IIα-IN-4

Cat. No.:	HY-151453
CAS No.:	2860554-26-1
Molecular Formula:	$C_{25}H_{21}NO_2$
Molecular Weight:	367.44
Target:	Topoisomerase; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Topoisomerase IIα-IN-4 (F2) is a non-intercalative ATP-competitive human DNA topoisomerase II inhibitor with an IC_{50} value of 3.8 and 10.1 μM for TopoIIα and TopoIIβ, respectively. Topoisomerase IIα-IN-4 shows potent potency in apoptosis induction and cell cycle arrest in HepG2 cells. Topoisomerase IIα-IN-4 exhibits strong antitumor activities against human cancer cell lines, it can be used for the research of cancer[1].

IC_{50} & Target

topoisomerase II alpha	topoisomerase II beta
3.8 μM (IC_{50})	10.1 μM (IC_{50})

In Vitro

Topoisomerase IIα-IN-4 (0-50 μM; 72 h) shows antiproliferative activities against cancer cells[1].
Topoisomerase IIα-IN-4 shows high inhibitory activity and subtypeselectivity against TopoIIα and β with IC_{50}s of 3.8 and 10.1 μM, respectively[1].
Topoisomerase IIα-IN-4 (0.3 μM; 4 h) is a non-intercalative TopoIIα catalytic inhibitor[1].
Topoisomerase IIα-IN-4 (0.5-1 μM; 48 h) induces cell apoptosis[1].
Topoisomerase IIα-IN-4 (0.5-1 μM; 24 h) induces cell-cycle arrest[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HeLa, HCT-116, MDA-MB231, HepG2, A549, CCL-226, BEAS-2B and HL-7702 cell lines
Concentration:	0-50 μM
Incubation Time:	72 hours
Result:	Inhibited HeLa, HCT-116, MDA-MB 231, HepG2, A549, CCL-226, BEAS-2B and HL-7702 cells with IC_{50}s of 0.1, 0.2, 0.3, 0.2, 0.3, 0.3, ⊠50, 31.9 and 16.7 μM, respectively.

Western Blot Analysis[1]

Cell Line:	HepG-2 cell line
Concentration:	0.3 μM
Incubation Time:	4 hours
Result:	Showed no effect on the level of phospho-histone H2AX.

Apoptosis Analysis[1]

Cell Line:	0.5-1 μM
Concentration:	0.3 μM
Incubation Time:	48 hours
Result:	Increased the total numbers of early and late apoptotic cells from 6.0% to 70.6% at the concentration of 1 μM.

In Vivo

Topoisomerase IIα-IN-4 (500 mg/kg; p.o. twice at the first day) shows no acute toxicity in vivo[1].

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

Animal Model:	C57BL/6 mice[1]
Dosage:	500 mg/kg
Administration:	Oral gavage; 500 mg/kg twice at the first day
Result:	Exerted lower toxicity in this established test and caused no significant difference of body weight of mice.

REFERENCES

[1]. Xu G, et al. Discovery of 1,2-diphenylethene derivatives as human DNA topoisomerase II catalytic inhibitors and antitumor agents. Eur J Med Chem. 2022 Aug 26;243:114706.

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