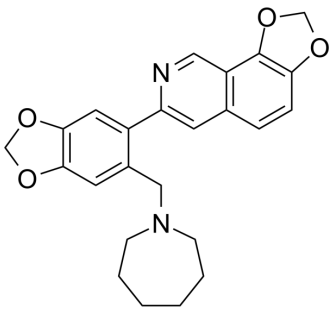
Topoisomerase I/II inhibitor 3

Cat. No.:	HY-146504
CAS No.:	2770804-74-3
Molecular Formula:	$C_{24}H_{24}N_2O_4$
Molecular Weight:	404.46
Target:	Topoisomerase; PI3K; Apoptosis; Reactive Oxygen Species
Pathway:	Cell Cycle/DNA Damage; PI3K/Akt/mTOR; Apoptosis; Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Topoisomerase I/II inhibitor 3 (compound 7) is a potent topoisomerase I (Topo I) and II (Topo II) dual inhibitor. Topoisomerase I/II inhibitor 3 can inhibit cell proliferation, invasion and migration, and induce apoptosis by inhibiting PI3K /Akt/mTOR signaling pathway. Topoisomerase I/II inhibitor 3 can be used for liver cancer research[1].

IC_{50} & Target	Topoisomerase I	Topoisomerase II	PI3K

In Vitro

Topoisomerase I/II inhibitor 3 (compound 7) (0-100 μM) can insert into DNA and change the topology of DNA, cause DNA damage to a certain extent[1].

Topoisomerase I/II inhibitor 3 (0-4 μM, 24 h) inhibits HCC (hepatocellular carcinoma) cells proliferation in a dose-dependent manner, inhibits migration and invasion of LM9 and HuH7 cells by inhibiting the expression of MMP-9[1].

Topoisomerase I/II inhibitor 3 (0-14 μM, 48 h) significantly induces the apoptosis of LM9 and HuH7 cells, and induces mitochondrial dysfunction and ROS burst in a dose-dependent manner[1].

Topoisomerase I/II inhibitor 3 (0-7 μM, 48 h) reduces the expression of the inhibitory factor Bcl-2 and promotes the expression of mitochondria-dependent apoptosis-related proteins such as Bax, cytochrome C, cleaved-caspase-3 and cleaved-caspase-9; inhibits the phosphorylation of PI3K/Akt/mTOR signaling pathway[1].

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

Cell Proliferation Assay

Cell Line:	HCC cells (HuH7 and LM9)[1]
Concentration:	0, 0.5, 1, 2, and 4 μM
Incubation Time:	24 h
Result:	Inhibited HCC cells proliferation in a dose-dependent manner, with IC_{50} values of 2.10 μM (LM9) and 1.93 μM (HuH7), respectively, and dose-dependently inhibited the formation of cell colonies.

Apoptosis Analysis

Cell Line:	LM9 and HuH7 cells[1]
Concentration:	0, 1.8, 3.5, 7, and 14 μM

Incubation Time:	48 h
Result:	Significantly induced the apoptosis of LM9 and HuH7 cells in a concentration-dependent manner.

Western Blot Analysis

Cell Line:	LM9 and HuH7 cells[1]
Concentration:	0, 1.8, 3.5, and 7 μM
Incubation Time:	48 h
Result:	Reduced the expression of the inhibitory factor Bcl-2 and promoted the expression of mitochondria-dependent apoptosis-related proteins such as Bax, cytochrome C, cleaved-caspase-3 and cleaved-caspase-9; inhibited the phosphorylation of PI3K/Akt/mTOR signaling pathway.

In Vivo

Topoisomerase I/II inhibitor 3 (compound 7) (male Kunming mice, 0-400 mg/kg, IP, once) causes the mice in the 400 mg/kg group die, with the LD_{50} between 250 and 400 mg/kg[1].

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

Animal Model:	Male Kunming mice (19-22 mg, 8 mice, 4 groups)[1]
Dosage:	200, 250, 400 mg/kg (dissolved in 5% DMSO and castor oil)
Administration:	IP, once
Result:	Did not cause the mice in the 250 mg/kg and 200 mg/kg groups die after 2 weeks of administration, and caused the mice in the 400 mg/kg group die, with the LD_{50} between 250 and 400 mg/kg.

REFERENCES

[1]. Deng X, Luo T, Zhang X, et al. Design, synthesis and biological evaluation of 3-arylisoquinoline derivatives as topoisomerase I and II dual inhibitors for the therapy of liver cancer. Eur J Med Chem. 2022;237:114376.

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