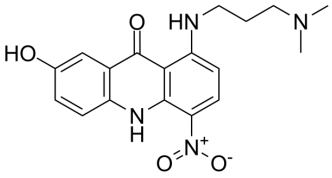
Topoisomerase II inhibitor 3

Cat. No.:	HY-143279
CAS No.:	99140-25-7
Molecular Formula:	$C_{18}H_{20}N_4O_4$
Molecular Weight:	356.38
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 II inhibitor 3 (Compound 6 h) is a acridone derivatives, as well as a Type II DNA topoisomerase (topo II) inhibitor , as a topo IIα/β inhibitor with the value of IC_{50} is 0.17 μM for topo IIα and the value of IC_{50} is 0.23 μM for topo IIβ subtypes, caused obvious DNA damage, and induced apoptosis by triggering the loss of mitochondrial membrane potential [1].

IC_{50} & Target

IC50: 0.17 μM (topo IIα); IC50: 0.23 μM (topo IIβ)[1]

In Vitro

Topoisomerase II inhibitor 3 (Compound 6 h) has function as a strong topo IIα/β inhibitor, causeS obvious DNA damage, and induces apoptosis by triggering the loss of mitochondrial membrane potential.
Topoisomerase II inhibitor 3 (Compound 6 h) is a topo IIα/β dual inhibitor with the value of IC_{50} is 0.17 μM for topo IIα and the value of IC_{50} is 0.23 μM for topo IIβ subtypesl.
Topoisomerase II inhibitor 3 (Compound 6 h) also can induce the formation of DSBs in a does-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Human breast cancer MDA-MB-231 cells; human lung cancer A549; human acute myelogenous leukemia KG1 cells; rat myocardial H9C2 cells
Concentration:	100 μM
Incubation Time:	12 h
Result:	Exerted the most potent anti-proliferative activity in MDA-MB-231 cells (IC_{50}: 0.42 μM), A549 cells (IC_{50}: 1.10 μM), KG1 cells (IC_{50}: 0.15 μM) and H9C2 cells (IC_{50} >20 μM).

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0.5-10 μM
Incubation Time:	24 h
Result:	Caused obvious loss of mitochondrial membrane potential (MMP) in MDA-MB-231 cells.

REFERENCES

[1]. Zhi-Ying Li, et al. Structural optimizations and bioevaluation of N-substituted acridone derivatives as strong topoisomerase II inhibitors. Bioorg Chem. 2022 Feb;119:105543.

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