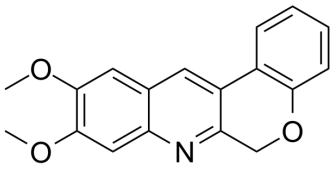
Topoisomerase I inhibitor 2

Cat. No.:	HY-143265
CAS No.:	2588211-44-1
Molecular Formula:	$C_{18}H_{15}NO_3$
Molecular Weight:	293.32
Target:	Topoisomerase; Apoptosis; Caspase
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Topoisomerase I inhibitor 2 (ZML-8) is a highly selective inhibitor of DNA topoisomerase I (Top1). Topoisomerase I inhibitor 2 inhibits Top1 activity and results DNA damage. Topoisomerase I inhibitor 2 blocks G2/M phase and induces apoptosis, exhibits anti-tumor effect[1].

IC_{50} & Target

Topoisomerase I
0.58 μM (IC_{50})

In Vitro

Topoisomerase I inhibitor 2 (ZML-8) (24 hours) exhibits strong inhibition with an IC_{50} value of 0.58 μM towards HepG2 and selective activity with SI values (selectivity index) of 55.70% between HepG2 and normal human liver cell line L-02[1].
Topoisomerase I inhibitor 2 (1.25, 2.5 μM, 48 hours) inhibits tumor cells proliferation by decreasing anti-apoptotic expression of Bcl-2 and enhancing caspase-dependent apoptosis[1].
Topoisomerase I inhibitor 2 (1.25, 2.5 μM, 48 hours) decreases Top1 specific activity and results in DNA damage by causing supercoiled DNA[1].

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

Cell Cycle Analysis[1]

Cell Line:	HepG2 cells
Concentration:	2.5 μM
Incubation Time:	24 hours
Result:	Arrested the cell cycle in G2/M phase in a dose-dependent manner.

Cell Proliferation Assay[1]

Cell Line:	HepG2 cells
Concentration:	0.625, 1.25, 2.5 μM
Incubation Time:	48 hours
Result:	Inhibited tumor cells proliferation by inducing cell apoptosis in a dose-dependent manner, the apoptosis rate was 65.0% and 77.6%, respectively.

Western Blot Analysis[1]

Cell Line:	HepG2 cells
Concentration:	0.625, 1.25, 2.5 μM
Incubation Time:	48 hours
Result:	Decreased anti-apoptotic expression of Bcl-2 at 2.5 μM significantly and increased the expression of pro-apoptotic protein Bax, Bad, and p53. And also significantly enhanced caspase- dependent apoptosis and activated Cleaved caspase-3 in a dose-dependent manner.

REFERENCES

[1]. Zhou Y, et al. Design and synthesis of Aza-boeravinone derivatives as potential novel topoisomerase I inhibitors. Bioorg Chem. 2022 May. 122:105747.

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