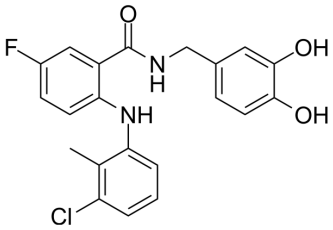
Topo I/COX-2-IN-1

Cat. No.:	HY-150685
CAS No.:	3031418-84-2
Molecular Formula:	$C_{21}H_{18}ClFN_2O_3$
Molecular Weight:	400.83
Target:	Topoisomerase; Apoptosis; Prostaglandin Receptor
Pathway:	Cell Cycle/DNA Damage; Apoptosis; GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Topo I/COX-2-IN-1 (1H-30) is a potential Topo I/COX-2 inhibitor. Topo I/COX-2-IN-1 inhibits COX-2 and Topo I with the IC_{50} value of 0.24 μM and 4.42 μM, respectively. Topo I/COX-2-IN-1 can induce apoptosis and inhibit migration of cancer cells, has anti-cancer activity[1].

IC_{50} & Target

Topoisomerase I
4.42 μM (IC_{50})

In Vitro

Topo I/COX-2-IN-1 (1H-30) (0-100 μM, 24 h) has anti-tumor cell proliferation activity and can induce apoptosis by increasing caspase-3 activity in a dose-dependent manner[1].
Topo I/COX-2-IN-1 (1H-30) (0.04-0.37 μM, 48 h) shows a significant decrease in cell migration at 0.37 μM and reduces the expression of MMP-9 (matrix metalloproteinases) in HGC-27 and RKO cells[1].
Topo I/COX-2-IN-1 (1H-30) (10 μM, 48 h) can inhibit the activation of NF-κB pathway in cancer cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Colon cancer cell lines HGC-27, RKO, HT-29, SGC-7901, and CT26.WT
Concentration:	0-100 μM
Incubation Time:	
Result:	Inhibited the proliferation of CT26.WT, RKO, HT-29, HGC-27 and SGC-7901 cells with the IC_{50} values of 3.04, 3.12, 16.93, 4.71 and 14.95 μM, respectively.

Apoptosis Analysis[1]

Cell Line:	HGC-27, RKO cell lines
Concentration:	1.1 μM, 3.3 μM, 10 μM
Incubation Time:	24 hours
Result:	Increased caspase-3 positive cells to 55.94% and 69.46 % at 10 μM comparing to 1.08% and 9.39% in the untreated group in RKO and HGC-27 cells respectively.

Cell Cycle Analysis[1]

Cell Line:	HGC-27, RKO cell lines
Concentration:	1.1 μM, 3.3 μM, 10 μM
Incubation Time:	
Result:	Induced blocked in G2/M phase significantly.

In Vivo

Topo I/COX-2-IN-1 (1H-30) (intraperitoneal injection, 100 mg/kg, twice a day, 14 days) may inhibit tumor growth by increasing the expression of caspase-3 and decreasing MMP-9 and COX-2 in tumor tissues to induce apoptosis in BALB/c mice model infected with CT26.WT colon cancer cells[1].

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

Animal Model:	BALB/c mice model infected with CT26.WT colon cancer cells[1]
Dosage:	100 mg/kg
Administration:	Intraperitoneal injection; twice a day; 14 days
Result:	Significant reduction in tumor size and tumor weight and no significant differences in body weight, organs.

Animal Model:	SD rats[1]
Dosage:	100 mg/kg
Administration:	Intraperitoneal injection; once
Result:	b>The pharmacokinetic parameters of Topo I/COX-2-IN-1 (1H-30)

Parameter	Topo I/COX-2-IN-1 (1H-30)
$t_{1/2}$	1.56 h
T_{max}	0.67 h
C_{max}	20.19 μg/mL
AUC_{0-t}	18.20 mg/L•h
AUC_{0-inf_obs}	18.60 mg/L•h

REFERENCES

[1]. Junfang Li, et al. N-2-(Phenylamino) Benzamide Derivatives as Dual Inhibitors of COX-2 and Topo I Deter Gastrointestinal Cancers via Targeting Inflammation and Tumor Progression. J Med Chem. 2022 Jul 22.

Caution: Product has not been fully validated for medical applications. For research use only.

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