Antitumor agent-61

Cat. No.: HY-146080

CAS No.: 2408917-12-2

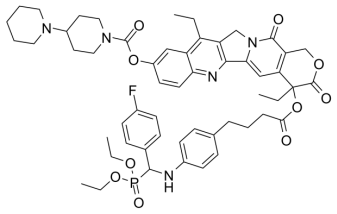
Molecular Formula: $C_{54}H_{63}FN_5O_{10}P$

Molecular Weight: 992.08

Target: Apoptosis

Pathway: Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antitumor agent-61 (Compound 9b), Irinotecan (Ir) derivative, is a potential antitumor agent. Antitumor agent-61 displays potent activity with IC_{50}s of 0.92, 1.39, 1.75, 2.20, 3.05 and 3.23 μM against five human cancer cells SK-OV-3, SK-OV-3/CDDP, U2OS, MCF-7, A549 and MG-63, respectively. Antitumor agent-61 induces SK-OV-3 cells apoptosis through mitochondrion pathways[1].

In Vitro

Antitumor agent-61 (compound 6b) shows anti-proliferation activity with IC_{50} values of 3.05, 2.20, 3.23, 1.75, 0.92 and 1.39 μM for A549, MCF-7, MG-63, U2OS, SK-OV-3 and SK-OV-3/CDDP cells[1].
Antitumor agent-61 (compound 6b) (50-150 μM) shows a certain inhibitory activity against Topo I at 150 μM[1].
Antitumor agent-61 (compound 6b) (5-10 μM; 24 hours, SK-OV-3 cells) induces apoptosis through mitochondrial pathway. Decrease the MMP level and increase ROS level in a dose-dependent manner [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	SK-OV-3 cells
Concentration:	5.0 and 10 μM
Incubation Time:	24 hours
Result:	Increased the percentage of apoptosis cells (including the early and late apoptosis) from 21.11% (5 μM) to 32.27% (10 μM), respectively and the apoptosis rate was significantly greater than that of Ir.

Cell Cycle Analysis[1]

Cell Line:	SK-OV-3 cells
Concentration:	5.0 and 10 μM
Incubation Time:	24 hours
Result:	Increased the percentage of S stage in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	SK-OV-3 cells
Concentration:	5.0 and 10 μM
Incubation Time:	24 hours
Result:	Decreased the expression of antiapoptotic protein Bcl-2, while increased pro-apoptotic Bax and caspase expression.

In Vivo

Antitumor agent-61 (compound 6b) (10-20 mg/kg; i.h.; Twice daily, for 28 days; BALB/c nude mice with SK-OV-3 xenograft) reduces mean NPC tumor burden in a dose-dependent manner[1].

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

Animal Model:	BALB/c nude mice with SK-OV-3 xenograft[1]
Dosage:	10 and 20 mg/kg
Administration:	Subcutaneous injection; Twice daily, for 28 days.
Result:	Suppressed tumor growth by 47.7% and 56.8% for 10 and 20 mg/kg, respectively, without affecting body weight or causing any overt adverse effects.

REFERENCES

[1]. Xiaochao Huang, et al. Synthesis, mechanisms of action, and toxicity of novel aminophosphonates derivatives conjugated irinotecan in vitro and in vivo as potent antitumor agents. Eur J Med Chem. 2020 Mar 1;189:112067.

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