Antitumor agent-37

Cat. No.: HY-145289

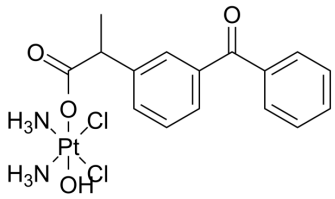
Molecular Formula: $C_{16}H_{20}Cl_2N_2O_4Pt$

Molecular Weight: 570.33

Target: Apoptosis

Pathway: Apoptosis

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



BIOLOGICAL ACTIVITY

Description

Antitumor agent-37 possesses potent anti-proliferative and anti-metastasis activities. Antitumor agent-37 induces serious DNA damage and further leads to high expression of γ-H2AX and p53. Antitumor agent-37 promotes apoptosis of tumor cells through mitochondrial apoptotic pathway Bcl-2/Bax/caspase3. Antitumor agent-37 significantly improves immune response through restraining the expression of PD-L1 to increase CD3+ and CD8+ T infiltrating cells in tumor tissues[1].

IC_{50} & Target

apoptosis[1]

In Vitro

Antitumor agent-37 (compound 7) (24-76 hours) displays relatively lower activities after 24 h treatment, and the IC_{50} values decreases at 48 h, and the activities at 72 h are similar to that of 48 h[1].

Antitumor agent-37 (compound 7) (24 hours) induces significant apoptosis of tumor cells in a dose-dependent manner[1].

Antitumor agent-37 (compound 7) (24 hours) induces serious apoptosis of tumor cells by the activation of mitochondrial pathway Bcl-2/Bax/caspase3[1].

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

Apoptosis Analysis[1]

Cell Line:	murine tumor cell line 4T1 and human tumor cell line A549
Concentration:	5 and 10 μM
Incubation Time:	24 hours
Result:	Exhibited effective apoptosis induction of both A549 and 4T1 cells after 24 h treatment.

Western Blot Analysis[1]

Cell Line:	A549 cells
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Dramatically downregulated the level of anti-apoptotic Bcl-2 and increased the secretion of pro-apoptotic Bax. Subsequently, the apoptosis executor caspase3 and c-caspase3 were remarkably upregulated by antitumor agent-37.

In Vivo

Antitumor agent-37 (compound 7) (i.p.; 4 mg Pt/kg; four times on days 3, 6, 9, and 12 post-tumor inoculation) exerts no visible impacts on body weight of mice in comparison with the blank group, which is obviously superior to reference drug OLP and complex 9, indicating its low toxicity in vivo[1].

Antitumor agent-37 (compound 7) (i.p.; 4 mg Pt/kg; four times on days 3, 6, 9, and 12 post-tumor inoculation) also exhibits prominent tumor growth inhibition to 4T1 tumors with a TGI of 54.6%[1].

Antitumor agent-37 (compound 7) (i.p.; 2 mg Pt/kg; four times on days 2, 4, 6, 8, 10, 12, and 14 post-tumor inoculation) displays significantly more effective antimetastasis effects than CDDP and OLP in vivo[1].

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

Animal Model:	Male BALB/c mice bearing CT-26 homograft tumors (18-20 g); female BALB/C mice bearing murine 4T1 cells (18–20 g)[1]
Dosage:	4 mg Pt/kg
Administration:	i.p.; four times on days 3, 6, 9, and 12 post-tumor inoculation
Result:	Exerted no visible impacts on body weight of mice in comparison with the blank group, which was obviously superior to reference drug OLP and complex 9, indicating its low toxicity in vivo. Antitumor agent-37 also exhibited prominent tumor growth inhibition to 4T1 tumors with a TGI of 54.6%.

Animal Model:	BALB/C mice bearing murine 4T1 cells[1]
Dosage:	2 mg Pt/kg
Administration:	i.p.; four times on days 2, 4, 6, 8, 10, 12, and 14 post-tumor inoculation
Result:	Decreased metastatic nodules examined by H&E staining in the lung and obviously smaller than that from the blank group as well as CDDP and OLP groups.

REFERENCES

[1]. Li Z, et al. Ketoprofen and Loxoprofen Platinum(IV) Complexes Displaying Antimetastatic Activities by Inducing DNA Damage, Inflammation Suppression, and Enhanced Immune Response. J Med Chem. 2021;64(24):17920-17935.

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