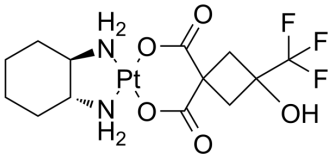
Antitumor agent-78

Cat. No.:	HY-151428
CAS No.:	2870703-23-2
Molecular Formula:	$C_{13}H_{19}F_3N_2O_5Pt$
Molecular Weight:	535.38
Target:	Ferroptosis; Apoptosis; Bcl-2 Family; COX
Pathway:	Apoptosis; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antitumor agent-78 is an antitumor agent, inhibits cancer cells growth and migration. Antitumor agent-78 triggers ferroptosis by inhibiting GPx-4 and elevating COX2. Antitumor agent-78 also activates intrinsic apoptotic pathway (Bax-Bcl-2-caspase-3) and hinders Epithelial-mesenchymal transition (EMT) process of cancer cells[1].

IC_{50} & Target	COX-2	COX-2	Bax	Bcl-2

In Vitro

Antitumor agent-78 (compound 2b) (30 μM; 4 h) exhibits good liposoluble and improved cellular uptake in A549 cancer cells[1].

Antitumor agent-78 (20 μM; 36 h) produces cytotoxicity by inducing apoptosis of A549 cancer cells[1].

Antitumor agent-78 (20 μM; 24 h) results in significant down-regulation of Bcl-2 and upregulation of Bax, also leads to E-cadherin increase, Vimentin decrease[1].

Antitumor agent-78 (20 μM; 24 h) arrests cell cycle at S phase and G2/M phase[1].

Antitumor agent-78 (10 μM; 12 h) inhibits cells migration with inhibition rate of 53%[1].

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

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	20 μM
Incubation Time:	36 hours
Result:	Resulte cell apopsotsis with average apoptotic values (including both early and late apoptotic states which were displayed in Q1-LR and Q1-UR, respectively) of 35.86%.

Western Blot Analysis[1]

Cell Line:	A549 cells
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Elevated the level of cleaved caspase-3 and reduced the level of caspase-3 in A549 cells. Decreased anti-apoptotic protein Bcl-2 and increased pro-apoptotic protein Bax.

	Elevated the expression of E-cadherin and on the other hand, lowered the protein level of Vimentin.

Cell Cycle Analysis[1]

Cell Line:	A549 cells
Concentration:	20 μM
Incubation Time:	24 hours
Result:	Blocked cell cycle progression in S and G2/M phase with the values of 24.91% and 22.21%, respectively.

In Vivo

Antitumor agent-78 (compound 2b) (6 μg/kg; i.v.; injected on day 8, 10, 12) displays better potential antitumor activity than Oxaliplatin (HY-17371), without significant damage to kidney and liver as well as weight loss[1].

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Animal Model:	A549 xenograft models in mouse[1]
Dosage:	6 μg/kg
Administration:	Intravenous injection; administration on day 8, 10, 12 after establishing xenograft models (A549 cells; s.c.)
Result:	Significantly repressed tumor growth, and maintained normal kidney and liver architecture in mice.

REFERENCES

[1]. Liu F, et al. Design and biological features of platinum (II) complexes with 3-hydroxy-3-(Trifluoromethyl)cyclobutane-1,1-Dicarboxylate as a leaving ligand. Eur J Med Chem. 2022 Nov 15;242:114673.

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