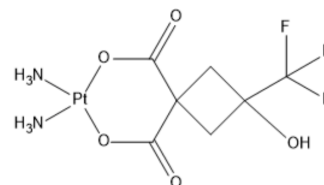


Antitumor agent-77

Cat. No.:	HY-151429
Molecular Formula:	C ₇ H ₁₁ F ₃ N ₂ O ₅ Pt
Molecular Weight:	455.25
Target:	Apoptosis; Ferroptosis; 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-77 is an antitumor agent, inhibits cancer cells growth and migration. Antitumor agent-77 triggers ferroptosis by inhibiting GPx-4 and elevating COX2. Antitumor agent-77 also activates intrinsic apoptotic pathway (Bax-Bcl-2-caspase-3) and hinders Epithelial-mesenchymal transition (EMT) process of cancer cells ^[1] .																		
IC₅₀ & Target	COX-2	Bax	Bcl-2																
In Vitro	<p>Antitumor agent-77 (compound 2a) (30 μM; 4 h) exhibits better solubility and improved cellular uptake than Carboplatin (HY-17393) in A549 cells^[1].</p> <p>Antitumor agent-77 (20 μM; 36 h) produces cytotoxicity by inducing apoptosis of A549 cancer cells^[1].</p> <p>Antitumor agent-77 (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].</p> <p>Antitumor agent-77 (20 μM; 24 h) arrests cell cycle at S phase and G2/M phase^[1].</p> <p>Antitumor agent-77 (10 μM; 12 h) inhibits cells migration with inhibition rate of 52%^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Apoptosis Analysis^[1]</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Cell Line:</td> <td>A549 cells</td> </tr> <tr> <td>Concentration:</td> <td>20 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>36 hours</td> </tr> <tr> <td>Result:</td> <td>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 25.34%.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Cell Line:</td> <td>A549 cells</td> </tr> <tr> <td>Concentration:</td> <td>20 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table>			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 25.34%.	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.
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 25.34%.																		
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 μ M

Incubation Time: 24 hours

Result: Blocked cell cycle progression in S and G2/M phase with the values of 41.11% and 26.03%, respectively.

In Vivo

Antitumor agent-77 (compound 2a) (6 μ g/kg; i.v.; injected on day 8, 10, 12) exhibits similar potency compared with [Oxaliplatin](#) (HY-17371), without significant damage to kidney and liver as well as weight loss^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model: A549 xenograft models in mouse^[1]

Dosage: 6 μ g/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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA