Antiproliferative agent-23

Cat. No.: HY-149918

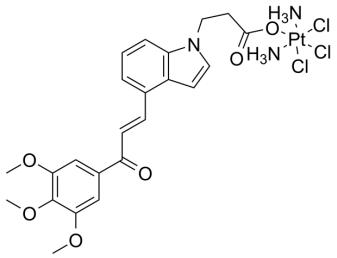
Molecular Formula: $C_{23}H_{28}Cl_3N_3O_6Pt$

Molecular Weight: 743.93

Target: Microtubule/Tubulin; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

Antiproliferative agent-23 is a microtubule-destabilizing agent (MDA) and efficiently disturbs the tubulin-microtubule system. Antiproliferative agent-23 induces apoptosis via a mitochondrion-dependent pathway by downregulating the Bcl-2 protein, upregulating Bax and Cyt c proteins, and activating the caspase cascade. Antiproliferative agent-23 initiates reactive oxygen species (ROS)-mediated endoplasmic reticulum stress in A549/CDDP cells (cisplatin resistant cancer cell line) via the PERK/ATF4/CHOP signaling pathway. Antiproliferative agent-23 has anti-tumor activity[1].

In Vitro

Antiproliferative agent-23 (72 hours) has vitro antiproliferative effect in HepG2 (IC_{50}=0.86), MDA-MB-231 (IC_{50}=1.53), MCF-7 (IC_{50}=0.94), A2780 (IC_{50}=0.88), A549 (IC_{50}=0.23), A549/CDDP (IC_{50}=0.35), HepG2/CDDP (IC_{50}=1.16), HUEVC (IC_{50}=5.68)[1].
Antiproliferative agent-23 (5 μM; 24 hours) effectively induces cell apoptosis in A549/CDDP cells[1].
Antiproliferative agent-23 (5 μM; 24 hours) can efficiently cause DNA damage in A549/CDDP cells and thus ultimately triggered apoptosis. Antiproliferative agent-23 causes a significant increase in the ER stress-related protein expression[1].
Antiproliferative agent-23 (10, 20 μM; 24 hours) leads to inhibitory effects of polymerization with an IC_{50} of 9.86 μM[1].
Antiproliferative agent-23 (5 μM; 24 hours) significantly increases intracellular ROS in A549/CDDP cells[1].
Antiproliferative agent-23 (1 μM; 24 hours) potently inhibits A549 cell migration in in vitro assays[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	CDDP-resistant non-small cell lung cancer cell line (A549/CDDP)
Concentration:	5 μM
Incubation Time:	24 hours
Result:	Effectively induced cell apoptosis in A549/CDDP cells.

Western Blot Analysis[1]

Cell Line:	CDDP-resistant non-small cell lung cancer cell line (A549/CDDP)
Concentration:	5 μM
Incubation Time:	24 hours
Result:	Induced a high level of γ-H2AX. Caused a significant increase in the ER stress-related protein (p-PERK, p-eIF2α, ATF 4, and

	CHOP) expression. The level of Bcl-2 was downregulated.

In Vivo

Antiproliferative agent-23 (12.40 mg/kg; IV; every 7 days for 28 consecutive days) has antitumor efficacy and retaines the high antitumor efficiency to attenuate CDDP resistance[1].

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

Animal Model:	Male BALB/c nude mice (20 to 25 g) injected with A549/CDDP[1]
Dosage:	12.40 mg/kg
Administration:	IV; every 7 days for 28 consecutive days
Result:	The tumor growth inhibition (TGI) values significantly increased to 65.9%.

REFERENCES

[1]. Zhikun Liu, et al. Novel Indole-Chalcone Derivative-Ligated Platinum(IV) Prodrugs Attenuate Cisplatin Resistance in Lung Cancer through ROS/ER Stress and Mitochondrial Dysfunction. J Med Chem. 2023 Apr 13;66(7):4868-4887.

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