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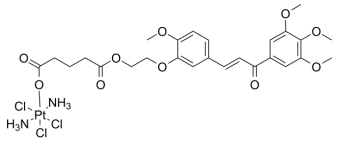
NF-κB-IN-16

Cat. No.:	HY-158156
Molecular Formula:	$C_{26}H_{35}Cl_3N_2O_{10}Pt$
Molecular Weight:	837.01
Target:	NF-κB; Apoptosis
Pathway:	NF-κB; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

NF-κB-IN-16 (compound 9) is a complex (Pt(IV) complex) of NF-κB inhibitor and Cisplatin (HY-17394), which has high efficacy and low toxicity in anti-tumor activity. active. NF-κB-IN-16 can cause DNA damage, induce mitochondrial dysfunction, produce reactive oxygen species, and induce apoptosis through the mitochondrial pathway and endoplasmic reticulum stress. NF-κB-IN-16 potently inhibits the NF-κB/MAPK signaling pathway and disrupts PI3K/AKT signaling. NF-κB-IN-16 also exhibits excellent in vivo antitumor efficiency and low toxicity in A549 or A549/CDDP xenograft models.[5][1].

In Vitro

NF-κB-IN-16 (compound 9) (5 μM; 24 h) induces apoptosis in A549 cells, exhibited cell cycle arrest at the S phase[1].
And NF-κB-IN-16 shows cytotoxicity against human cancer cells with IC_{50}s of 0.45 μM (HepG-2), 0.46 μM (HCT-116), 0.73 μM (MCF-7), and 0.29 μM (A549), respectively[1].
NF-κB-IN-16 (5 μM; 24 h) could induce DNA damage in A549 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	5 μM
Incubation Time:	24 h
Result:	Showed the strongest cell apoptosis induction rate of 39.43% among cisplatin (5 μM), and the mixture of cisplatin and the Pt(IV) complex group.

Immunofluorescence[1]

Cell Line:	A549 cells
Concentration:	5 μM
Incubation Time:	24 h
Result:	Observed long comet tail formation in the cisplatin, cisplatin/4 mixture, and Pt(IV) complex, in comet assay Caused stronger DNA damage by Pt(IV) complex, than that caused by cisplatin (5 μM) or cotherapy, respectively.

In Vivo

NF-κB-IN-16 (compound 9) (5, 13.9 mg/kg; ip; 21 d) showed excellent anti-tumor efficacy in the A549 xenograft nude mouse model, with an inhibition rate of 36.2 at two doses. % and 63.7%[1].

NF-κB-IN-16 also showed significant inhibitory effects on the A549/CDPP xenograft nude mouse model[1].

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

Animal Model:	A549 xenograft nude mice models[1]
Dosage:	13.9 mg/kg, equal to the molar amount of cisplatin (5 mg/kg)
Administration:	IP; once daily for 21 days
Result:	Resulted stronger inhibition (72.7%) on tumor growth, than that of CDDP (60.4%) and the combination group (68.5%), respectively Showed low toxicity side effect against mouse body weight.

REFERENCES

[1]. Wang M, et al. Novel NF-κB Inhibitor-Conjugated Pt(IV) Prodrug to Enable Cancer Therapy through ROS/ER Stress and Mitochondrial Dysfunction and Overcome Multidrug Resistance. J Med Chem. 2024 Apr 25;67(8):6218-6237.

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