Antitumor agent-83

Cat. No.: HY-152468

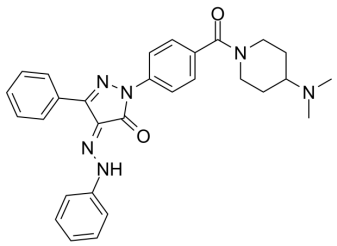
Molecular Formula: $C_{29}H_{30}N_6O_2$

Molecular Weight: 494.59

Target: Apoptosis

Pathway: Apoptosis

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



BIOLOGICAL ACTIVITY

Description

Antitumor agent-83 is an activator of pro-apoptotic protein BAX and has significant anti-proliferation effect on tumor cells. Antiumor agent-83 mediates cell Apoptosis by inducing the conformational activation of BAX and has inhibitory effect on A549 cell cycle. Antiumor agent-83 has good metabolic stability and CYPs spectrum in vitro[1].

In Vitro

Antitumor agent-83 (compound 6d) (5-40 μM; 24 h) activates BAX and mediates cell apoptosis, promotes the release cytochrome c in a dose-dependent manner[1].

Antitumor agent-83 has significant anti-proliferation effect on A549, HCT-116, PC-3, H1581, MDA-MB-231, K562, MV4-11 and THP-1 tumor cells with GI_{50} values of 2.15 μM, 3.31 μM, 2.50 μM, 2.15 μM, 3.98 μM, 1.41 μM, 2.84 μM, 2.18 μM, respectively[1].

Antitumor agent-83 (1-5 μM; 24, 48 and 72h) significantly reduces the viability of A549 cells in a dose-and time-dependent manner[1].

Antitumor agent-83 (5 μM and 10 μM; 48 h) promotes A549 cells apoptosis in a dose-dependent manner[1].

Antitumor agent-83 (2.5 μM and 5 μM; 48 h) induces cell cycle arrest in G0/G1 phase with dose-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	A549 cells.
Concentration:	5, 10, 20 and 40 μM.
Incubation Time:	24 h.
Result:	Significantly up-regulated the expression level of BAX, activated the conformation of BAX and caused the release of cytochrome c.

Cell Viability Assay[1]

Cell Line:	A549 cells.
Concentration:	1, 2, 3, 4 and 5 μM.
Incubation Time:	24, 48 and 72h.
Result:	Showed inhibitory effect on the growth of A549 cells and exhibited greatest impact on the viability of A549 cells (5 μM; 72 h).

Apoptosis Analysis[1]	
Cell Line:	A549 cells.
Concentration:	5 and 10 μM.
Incubation Time:	48 h.
Result:	Showed active effect on the apoptosis of A549 cells with cell apoptosis were 15.43 % and 73.40 % at the concentration of 5 μM and 10 μM, respectively.

Cell Cycle Analysis[1]	
Cell Line:	A549 cells.
Concentration:	2.5 and 5 μM.
Incubation Time:	48 h.
Result:	Exhibited inhibitory effect on A549 cell cycle.

REFERENCES

[1]. Zhang Z, et al. Optimization of BAX trigger site activator BTSA1 with improved antitumor potency and in vitro ADMET properties. Eur J Med Chem. 2023 Feb 15;248:115076.

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