Anticancer agent 108

Cat. No.: HY-149240

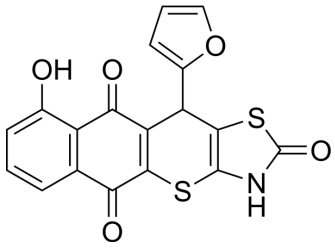
Molecular Formula: $C_{18}H_9NO_5S_2$

Molecular Weight: 383.4

Target: P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

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



BIOLOGICAL ACTIVITY

Description

Anticancer agent 108 (Compound 3.10) is a potent P-gp inhibitor with significant antitumor activity and less toxicity to normal and pseudonormal cells. Anticancer agent 108 (Compound 3.10) had no acute toxic effect on C57BL/6 mice[1].

In Vitro

Anticancer agent 108 (10 μM; 48 h) significantly inhibits the proliferation of cancer cells[1].
Anticancer agent 108 (50, 100, 250, 500 nM; 72 h) inhibits the proliferation of KB-3-1 cells[1].
Anticancer agent 108 (0.5, 2.5, 5 μM; 3, 24 h) uses endoplasmic reticulum (ER) stress to induce apoptosis[1].
Anticancer agent 108 (5, 10 μM; 24 h) induces apoptosis of MDA-MB-231 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	60 cancer cell lines representing nine different types (leukemia, melanoma, lung, colon, CNS, ovarian, renal, prostate, and breast cancers)
Concentration:	10 μM
Incubation Time:	48 h
Result:	Possessed prominent antitumor activity (mean growth −61.06%; the range of growth −99.55 to 18.52). In addition, inhibited the growth of 25 tested cancer cell lines with percent growth of <0, and showed not only cytostatic effect but also cytotoxic properties.

Cell Proliferation Assay[1]

Cell Line:	KB-3-1 cells
Concentration:	50, 100, 250, 500 nM
Incubation Time:	72 h
Result:	Almost 14 times bigger comparing to survival fraction after incubation of KB-3-1 cells with doxorubicin in the same concentration (100 nM) used as a positive control. However, at applying 500 nM concentration, did not observe the growth of KB-3-1 cell colonies after 72 h of drug exposure.

Western Blot Analysis[1]

Cell Line:	KB-3-1 cells
Concentration:	0.5, 2.5, 5 μM
Incubation Time:	3, 24 h
Result:	Dose-dependently increased the expression of BIP (immunoglobulin heavy chain binding protein) at 24 hours, while no changes in the levels of the above proteins were found at 3 hours.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 breast cancer cells
Concentration:	5, 10 μM
Incubation Time:	24 h
Result:	Induced apoptosis in the MDA-MB-231 breast cancer cells proceeding through two pathways, extrinsic and intrinsic.

In Vivo

Anticancer agent 108 (single dose, i.p. 20 mg/kg) does not induce a loss of body mass in animals, their rapid death, leukopenia, erythropenia, and a decrease in the level of hemoglobin in blood of mice.

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

Animal Model:	C57BL/6 mice[1]
Dosage:	20 mg/kg
Administration:	single dose, i.p. 20 mg/kg
Result:	Did not induce a loss of body mass in animals, their rapid death, leukopenia, erythropenia, and a decrease in the level of hemoglobin in blood of mice.

REFERENCES

[1]. Ivasechko I, et al. Molecular design, synthesis and anticancer activity of new thiopyrano[2,3-d]thiazoles based on 5-hydroxy-1,4-naphthoquinone (juglone). Eur J Med Chem. 2023 Apr 5;252:115304.

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