P-gp inhibitor 3

Cat. No.: HY-144366

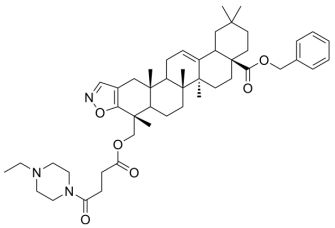
Molecular Formula: $C_{48}H_{67}N_3O_6$

Molecular Weight: 782.06

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

P-gp inhibitor 3 is an effective P-glycoprotein (P-gp) inhibitor. P-gp inhibitor 3 inhibits the efflux function of P-gp by activating P-gp ATPase. P-gp inhibitor 3 has relatively stronger multidrug resistance (MDR) reversal ability and enhances the anti-tumor activity of Paclitaxel[1].

IC_{50} & Target

P-glycoprotein

In Vitro

P-gp inhibitor 3 (compound 16) (10 μM; 72 hours) has appreciable cytotoxicity in KBV cancer cells, with relatively stronger MDR reversal ability[1].

P-gp inhibitor 3 (2.5, 5, 10 μM ; 3 hours) reverses tumor MDR by inhibiting the efflux function of P-gp[1].

P-gp inhibitor 3 (0.25, 0.5, 1 mM; 5 minutes) can significantly increase ATP consumption in a concentration-dependent manner ($p<0.01$)[1].

P-gp inhibitor 3 (10 μM; 24 hours) induces apoptosis in KBV cells in the G_2/M phase[1].

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

Cell Cytotoxicity Assay

Cell Line:	KBV cells[1]
Concentration:	10 μM
Incubation Time:	72 hours
Result:	Showed appreciable cytotoxicity in KBV cancer cells, and exhibited relatively stronger MDR reversal ability.

Cell Cycle Analysis

Cell Line:	KBV cells[1]
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Induced apoptosis in KBV cells in the G_2/M phase.

In Vivo

P-gp inhibitor 3 (10 mg/kg; i.p., once a day, for 1 to 18 days) significantly enhances the anti-tumor activity of paclitaxel and

the tumor suppression rate is 56.24%[1].

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Animal Model:	Nude mice xenograft tumor model (6-8 weeks old, BALB/c, male)[1]
Dosage:	10 mg/kg for P-gp inhibitor 3; 30 mg/kg for paclitaxel
Administration:	i.p.; once a day (P-gp inhibitor 3), once every three days (paclitaxel); for 1 to 18 days
Result:	Significantly enhanced the anti-tumor activity of paclitaxel and the tumor suppression rate was 56.24%.

REFERENCES

[1]. Huang W, et al. Design, synthesis, and tumor drug resistance reversal activity of novel hederagenin derivatives modified by nitrogen-containing heterocycles. Eur J Med Chem. 2022;232:114207.

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