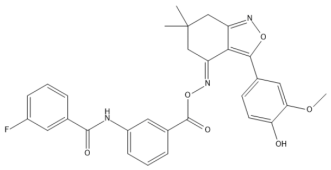
Hsp90-IN-16

Cat. No.:	HY-151359
Molecular Formula:	$C_{30}H_{26}FN_3O_6$
Molecular Weight:	543.54
Target:	Apoptosis; HSP
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Hsp90-IN-16 (compound 16s) is an HSP90 inhibitor with high selectivity and potency against HER2-positive cancer cells. Hsp90-IN-16 exhibits high anti-proliferative capacity against HCC1954 breast cancer cells with an IC_{50} of 6 μM. Hsp90-IN-16 induces apoptosis by inhibiting HSP90 "client" proteins, including a key oncogenic receptor, HER2/neu[1].

In Vitro

Hsp90-IN-16 (0-25 μM; 72 h) shows an antiproliferative effect on three breast cancer cell lines (MCF7, MDA-MB-231 and HCC1954)[1].

Hsp90-IN-16 (10, 15, 20 μM; 72 h) induces apoptosis in HCC1954 cells via inhibition of HSP90 “client” proteins including a key oncogenic receptor, HER2/neu[1].

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

Cell Proliferation Assay[1]

Cell Line:	MCF7, MDA-MB-231, HCC1954 cells
Concentration:	0-25 μM
Incubation Time:	72 h
Result:	Inhibits the proliferation of HCC1954, MCF7 and MDA-MB-231 cells, with IC_{50}s of 6, 6.2 and more than 25 μM, respectively.

Cell Cycle Analysis[1]

Cell Line:	HCC1954 cells
Concentration:	10, 15, 20 μM
Incubation Time:	48 h
Result:	Increased the fraction of cells in the subG1 phase in a concentration-dependent manner (reaching 50% of the value at 20 μM concentration), while decreased the fraction of cells in the G1 phase.

Western Blot Analysis[1]

Cell Line:	HCC1954 cells

Concentration:	10, 15, 20 μM
Incubation Time:	72 h
Result:	Led to an increase in the level of cleavage of caspase 9 and poly (ADP-ribose) polymerase (PARP), which together is a biomarker of cell death along the pathway of apoptosis. Decreasd the expression of NF-κB, HSP90 and HSP90 “client” proteins:Akt, phosphor-Akt, ERK1/2 and EGFR. (HSP90 “client” proteins play an important role in the oncogenic transformation of normal cells and tumor cells during the functioning of various signaling cascades involved in growth control, survival and metastasis). Againsted breast cancer cell line HCC1954 via the suppression of key oncogene - HER2.

REFERENCES

[1]. Piven YA, et al. Novel O-acylated (E)-3-aryl-6,7-dihydrobenzisoxazol-4(5H)-one oximes targeting HSP90-HER2 axis in breast cancer cells. Bioorg Med Chem. 2022 Jan 1;53:116521.

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