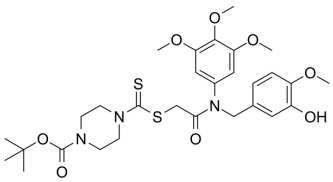
Tubulin polymerization-IN-5

Cat. No.:	HY-144299
Molecular Formula:	$C_{29}H_{39}N_3O_8S_2$
Molecular Weight:	621.77
Target:	Microtubule/Tubulin; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tubulin polymerization-IN-5 (compound 20q) is a potent tubulin inhibitor with potential anticancer activities. Tubulin polymerization-IN-5 can arrest ESCC cells at G2/M phase and cause cells apoptosis[1].
IC_{50} & Target	Tubulin
In Vitro	Tubulin polymerization-IN-5 (compound 20q) (0-100 nM, 48 h) exhibits potent antiproliferative activity against Kyse30, Kyse450, MGC-803, and HCT-116 cells[1]. Tubulin polymerization-IN-5 (0-60 nM, 7 d) obviously inhibits the cellular colony formation ability of the Kyse30 and Kyse450 cells[1]. Tubulin polymerization-IN-5 (0-100 nM, 48 h) inhibits microtubule assembly and disrupts cytoskeleton[1]. Tubulin polymerization-IN-5 (0-300 nM, 24 h) causes a significant weakening of the β-tubulin adduct band in Kyse30 and Kyse450 cells, competitively bind the colchicine binding site of β-tubulin[1]. Tubulin polymerization-IN-5 (0-100 nM, 48 h) effectively arrests cells at the G2/M phase, and induces cell apoptosis in Kyse30 and Kyse450 cells by regulating the expression of related proteins[1]. Tubulin polymerization-IN-5 (0-100 nM, 48 h) induces cell mitochondrial apoptosis in ESCC cells, leads to a significant depolarization of mitochondria membrane potential in Kyse30 and Kyse450 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	Kyse30, Kyse450, MGC-803, and HCT-116 cells[1]
Concentration:	0, 80, 100 nM
Incubation Time:	48 h
Result:	Exhibited potent antiproliferative activity against Kyse30, Kyse450, MGC-803, and HCT-116 cells, with IC_{50} values of 0.069, 0.078, 0.084, and 0.227 μM, respectively.

Cell Cycle Analysis

Cell Line:	Kyse30 and Kyse450 cells[1]
Concentration:	0, 80, 100 nM

Incubation Time:	48 h
Result:	Effectively arrested 2 ESCC cells at the G2/M phase, significantly increased of percentages of cells at the G2/M phase from 19.38 to 76.9767 in Kyse30 cells, and 7.04333 to 80.8933 in Kyse450 cells.

Apoptosis Analysis

Cell Line:	Kyse30 and Kyse450 cells[1]
Concentration:	0, 80, 100 nM
Incubation Time:	48 h
Result:	Dose-dependently induced cell apoptosis in Kyse30 and Kyse450 cells, significantly increased the percentages of total apoptotic cells from 8.1667% (0 nM) to 23.8% (80 nM, Kyse30 cells), 34.0333% (80 nM, Kyse450 cells), 38.633% (100 nM, Kyse30 cells), and 57.3667% (100 nM, Kyse450 cells), respectively.

Western Blot Analysis

Cell Line:	Kyse30 and Kyse450 cells[1]
Concentration:	0, 80, 100 nM
Incubation Time:	48 h
Result:	Dose-dependently down-regulated the expression of the G2 phase related proteins CDK1, CDC25c and p-Wee 1, up-regulated the level of the M phase marker protein p-Histone H3; up-regulated the activity of the executors of apoptosis caspase-3, up-regulated the pro-apoptotic proteins Bax and Noxa, and down-regulated the anti-apoptotic protein Bcl-2, decreased the levels of IAP (Inhibitor of Apoptosis Proteins) family protein XIAP.

REFERENCES

[1]. Sun YX, et al. Design, synthesis and evaluation of novel bis-substituted aromatic amide dithiocarbamate derivatives as colchicine site tubulin polymerization inhibitors with potent anticancer activities. Eur J Med Chem. 2022;229:114069.

Caution: Product has not been fully validated for medical applications. For research use only.

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