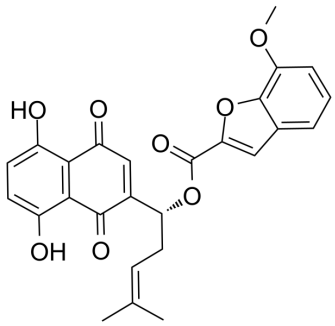
Tubulin inhibitor 25

Cat. No.:	HY-146778
CAS No.:	2411697-71-5
Molecular Formula:	$C_{26}H_{22}O_8$
Molecular Weight:	462.45
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tubulin inhibitor 25 is a potent tubulin inhibitor with an IC_{50} value of 0.98 μM. Tubulin inhibitor 25 exhibits remarkable activity against cancer cell line HT29. Tubulin inhibitor 25 displays the potent inhibition on cell migration and tube formation that contributes to the anti-angiogenesis[1].
IC_{50} & Target	IC_{50}: 0.98 μM (tubulin)[1]

In Vitro

Tubulin inhibitor 25 (compound 6c) (0-100 μM; 48 hours) exhibits high antiproliferative activity against tested cancer cell lines[1].

Tubulin inhibitor 25 (0-200 μM; 48 hours) exhibits low cytotoxicity in normal cell lines[1].

Tubulin inhibitor 25 (0.05, 0.1 and 0.2 μM; 24 hours) inhibits the colony formation of HT29 cells in a dose-dependent manner [1].

Tubulin inhibitor 25 (2 and 4 μM) can inhibit the tubulin polymerization and compete with colchicine binding site[1].

Tubulin inhibitor 25 (0.25-1 μM; 12-48 hours) arrests the cell cycle at G2/M phase and induces HT29 cells apoptosis in a dose- and time-dependent manner, besides induces HT29 cell depolarized mitochondria in the process of apoptosis[1].

Tubulin inhibitor 25 (0.25-1 μM; 24 hours) increases the expression of P21 and Cyclin B1 and decreases the expression of Cdc2, p-CDC2 and p-Cdc25c; as well as induces the microtubule collapse in HT29 cells in a dose-dependent manner[1].

Tubulin inhibitor 25 (0.01, 0.02 and 0.04 μM; 6 hours) effectively inhibits the HUVEC tube formation in a dose-dependent manner[1].

Tubulin inhibitor 25 (0.1, 0.2 and 0.4 μM; 24 hours) inhibits migration of A549 cells in a dose-dependent manner[1].

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

Cell Proliferation Assay

Cell Line:	MDA-MB-231, HepG2, HT29, HCT116 and A549[1]
Concentration:	0-100 μM
Incubation Time:	48 hours
Result:	Exhibited high antiproliferative activity against HT29, HCT116, MDA-MB-231 and A549 with IC_{50}s of 0.18 ± 0.04 μM, 0.58 ± 0.11 μM, 0.81 ± 0.13 μM and 0.57 ± 0.79 μM, and less activity against HepG2 with an IC_{50} of 73.20 ± 4.03 μM.

Cell Cytotoxicity Assay

Cell Line:	293T and LO2[1]
Concentration:	0-200 μM
Incubation Time:	48 hours
Result:	Exhibited low cytotoxicity in normal cell lines with CC_{50}s of 184.86 ± 9.88 μM and 154.76 ± 9.98 μM in 293T and LO2.

Cell Cycle Analysis

Cell Line:	HT29[1]
Concentration:	0.25, 0.5 and 1 μM
Incubation Time:	12, 24, 36 and 48 hours
Result:	Arrested the cell cycle at G2/M phase in a dose-dependent manner with the G2/M cell proportion of 23.05%, 23.55% and 80.99% at 0.25 μM, 0.5 μM and 1 μM, respectively, also exhibited time-dependent manner with the G2/M cell proportion of 32.55%, 36.43% and 71.1% for 12, 36 and 48 hours.

Western Blot Analysis

Cell Line:	HT29[1]
Concentration:	0.25, 0.5 and 1 μM
Incubation Time:	24 hours
Result:	Increased the expression of P21 and Cyclin B1 and decreased the expression of Cdc2, p-CDC2 and p-Cdc25c.

In Vivo

Tubulin inhibitor 25 (1.5 mg/kg; IV; single) exhibits good metabolic stability[1].
Pharmacokinetic Parameters of Tubulin inhibitor 25 in male Sprague-Dawley rats[1].

	IV (1.5 mg/kg)
$T_{1/2}$ (h)	3.81 ± 2.14
$MRT_{0-\infty}$ (h)	5.12 ± 2.86
$AUC_{0-\infty}$ (ng/mL·h)	2156.12 ± 851.88
V_Z (L/kg)	3.348 ± 0.734

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

Animal Model:	SD rats[1]
Dosage:	1.5 mg/kg
Administration:	IV; single (Pharmacokinetic Analysis)

Result:	Exhibited good metabolic stability.

REFERENCES

[1]. Shao YY, et al. Synthesis and biological evaluation of novel shikonin-benzo[b]furan derivatives as tubulin polymerization inhibitors targeting the colchicine binding site. Eur J Med Chem. 2020;190:112105.

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