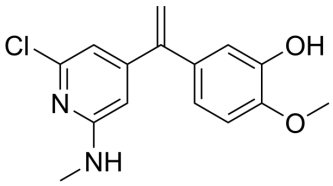
Tubulin polymerization-IN-14

Cat. No.:	HY-146211
CAS No.:	2417134-05-3
Molecular Formula:	$C_{15}H_{15}ClN_2O_2$
Molecular Weight:	290.74
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-14 (Compound 20a) is a tubulin polymerization inhibitor with an IC_{50} of 3.15 μM. Tubulin polymerization-IN-14 shows potent anti-vascular and anticancer activities, induces cancer cell apoptosis[1].

IC_{50} & Target

IC_{50}: 3.15 μM (tubulin polymerization)[1]

In Vitro

Tubulin polymerization-IN-14 (Compound 20a) binds to the colchicine binding site on tubulin[1].
Tubulin polymerization-IN-14 (0-1 μM; 72 h) inhibits cancer cell growth[1].
Tubulin polymerization-IN-14 (5-20 nM; 48 h) arrests K562 cell cycle at G2/M phase, significantly induces cell apoptosis in K562 cells in a concentration-dependent manner, and induces mitochondrial membrane potential (MMP) collapse and mitochondrial dysfunction in K562 cells[1].
Tubulin polymerization-IN-14 (5-20 nM; 24 h) significantly decreases wound closure and capillary-like tubules formation in a concentration-dependent manner in HUVECs[1].

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

Cell Proliferation Assay[1]

Cell Line:	K562 cells
Concentration:	0-1 μM
Incubation Time:	72 h
Result:	Showed anti-proliferative activity with an IC_{50} of 0.01 ± 0.001 μM against K562 cells.

Cell Cytotoxicity Assay[1]

Cell Line:	HepG2, HCT-8, MDA-MB-231 and HFL-1 cells
Concentration:	0-1 μM
Incubation Time:	72 h
Result:	Showed cytotoxic activities with IC_{50}s of 0.019 ± 0.002, 0.021 ± 0.003, 0.02 ± 0.001 and 0.118 ± 0.007 μM against HepG2, HCT-8, MDA-MB-231 and HFL-1 cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	K562 cells
Concentration:	5 nM, 10 nM and 20 nM
Incubation Time:	48 h
Result:	9.40%, 11.54% and 15.28% of cells were arrested at G2/M phase at 5, 10 and 20 nM, respectively.

Apoptosis Analysis[1]

Cell Line:	K562 cells
Concentration:	5 nM, 10 nM and 20 nM
Incubation Time:	48 h
Result:	Compared to the percentage of apoptosis cells in control group (3.25%), the total percentage of the early (Annexin-Vþ/PI) and late (Annexin-Vþ/PIþ) apoptosis cells were 10.46%, 48.55% and 62.26% after being treated at 5, 10, and 20 nM for 48 h, respectively.

Cell Migration Assay [1]

Cell Line:	HUVECs
Concentration:	5 nM, 10 nM and 20 nM
Incubation Time:	24 h
Result:	After exposed to compound at 5, 10, and 20 nM for 24 h, cells migrated into 67.6%, 55.3% and 49.2% of the wound area, respectively.

In Vivo

Tubulin polymerization-IN-14 (Compound 20a) (15 and 30 mg/kg; i.v.; daily for 21 days) displays obvious and dose-dependent antitumor effect with no significant toxicity in the liver tumor allograft mouse model[1].

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Animal Model:	Five-week-old male ICR mice, liver tumor allograft model[1]
Dosage:	15 and 30 mg/kg
Administration:	Intravenous injection, daily for 21 days
Result:	The decrease in tumor weight reached 68.7% at doses of 30 mg/kg per day at 21 daysafter initiation of treatment as compared to vehicle without obvious loss of body weight.

REFERENCES

[1]. Shuai W, et al. Design, synthesis and anticancer properties of isocombretapyridines as potent colchicine binding site inhibitors. Eur J Med Chem. 2020 Jul 1;197:112308.

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

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