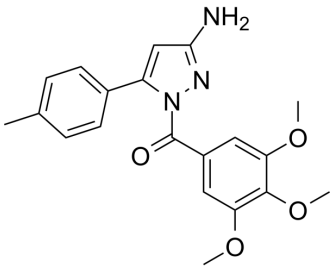
Antitumor agent-138

Cat. No.:	HY-162227
CAS No.:	2975168-22-8
Molecular Formula:	$C_{20}H_{21}N_3O_4$
Molecular Weight:	367.4
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

Antitumor agent-138 (compound 5b) is an inhibitor against tubulin polymerization at tubulin colchicine-binding sites, with IC_{50} of 1.87 μM. Antitumor agent-138 arrests the cell cycle at G2/M phase and induces an apoptosis in MCF-7 cells. Antitumor agent-138 inhibits cells migration and angiogenesis[1].

In Vitro

Antitumor agent-138 exhibits anti-proliferative properties in cells MCF-7, A549, MDA-MB-231, HT-29, HeLa and L02, with IC_{50}s of 0.04, 0.39, 0.04, 0.06, 0.11 and 2.73 μM, respectively[1].
Antitumor agent-138 (5-20 nM) inhibits the colony formation in human breast cancer cells MCF-7[1].
Antitumor agent-138 (25-200 nM) induces microtubule collapse in MCF-7 cellls[1].
Antitumor agent-138 (6.25-50 nM) inhibits the HUVEC tubes formation in a dose-dependent manner and exhibits an anti-vascular activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Migration Assay [1]

Cell Line:	A549
Concentration:	6.25-50 nM
Incubation Time:	24 h
Result:	Inhibited the cell migration in a dose-dependent manner.

Cell Proliferation Assay[1]

Cell Line:	MCF-7, A549, MDA-MB-231, HT-29, HeLa and L02
Concentration:	0-5 μM
Incubation Time:	48 h
Result:	Exhibited anti-proliferative properties in cancer cells MCF-7, A549, MDA-MB-231, HT-29, HeLa with low concentrations in nanomole.

Western Blot Analysis[1]

Cell Line:	MCF-7

Concentration:	6.25-25 nM
Incubation Time:	24 h
Result:	Increased P21, Cyclin B1, Cdc25c and cdk7 in a dose- and time-dependent manner. Increased Bax, Cleaved-PARP, Bim and Cleaved Caspase-9, decreasesd Bcl-2 in a dose- and time-dependent manner.

Immunofluorescence[1]

Cell Line:	MCF-7
Concentration:	25-200 nM
Incubation Time:	8 h
Result:	Disrupted the microtubule network into punctate.

In Vivo

Antitumor agent-138 (20 mg/kg, i.p., 21 days) exhibits an antitumor activity with a tumor growth inhibition rate of 68.95% in MCF-7 xenograft BALB/c nude mice[1].

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Animal Model:	MCF-7 xenograft in BALB/c nude mice[1]
Dosage:	20 mg/kg
Administration:	intraperitoneal injection for 21 days
Result:	Inhibited the tumor growth with TGI of 68.95%

REFERENCES

[1]. Yang Y, et al., Design and synthesis of novel 3-amino-5-phenylpyrazole derivatives as tubulin polymerization inhibitors targeting the colchicine-binding site. Eur J Med Chem. 2024 Jan 24;267:116177.

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