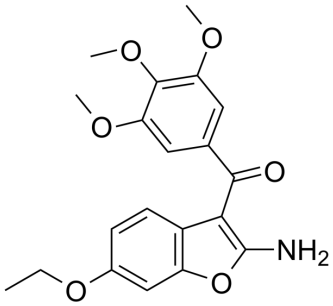
Tubulin polymerization-IN-13

Cat. No.:	HY-146209
CAS No.:	2426665-56-5
Molecular Formula:	$C_{20}H_{21}NO_6$
Molecular Weight:	371.38
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-13 (Compound 4f) is a tubulin polymerization inhibitor (IC_{50}=0.37 μM). Tubulin polymerization-IN-13 shows anti-proliferative activity against cancer cells, induces apoptosis and potential antivascular activity[1].
IC_{50} & Target	IC50: 0.37 μM (tubulin polymerization)[1]

In Vitro

Tubulin polymerization-IN-13 (0.005-2.8 nM) treatment inhibits tumor cell proliferation[1].
Tubulin polymerization-IN-13 (8.7-10 μM) is non-toxic in non-tumor cells[1].
Tubulin polymerization-IN-13 (1-50 nM; 24 h) treatment induces cell cycle arrest in G2/M[1].
Tubulin polymerization-IN-13 (10 nM; 24 and 48 h) treatment induces cell apoptosis[1].
Tubulin polymerization-IN-13 (10-100 nM; 24 h) treatment induces alteration of the microtubule network[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HeLa, HT-29, Daoy, HL-60, SEM, and Jurkat cells
Concentration:	0.005-2.8 nM
Incubation Time:	
Result:	Showed IC_{50}s of 2.8 nM, 2.1 nM, 0.005 nM, 2.7 nM, 0.31 nM, and 0.28 nM for HeLa, HT-29, Daoy, HL-60, SEM, and Jurkat cells, respectively.

Cell Cytotoxicity Assay[1]

Cell Line:	Peripheral blood lymphocytes (PBL)
Concentration:	8.7-10 μM
Incubation Time:	
Result:	Showed a GI_{50} of 8.7 μM in quiescent lymphocytes.

Cell Cycle Analysis[1]

Cell Line:	HeLa cells

Concentration:	1, 5, 10, and 50 nM
Incubation Time:	24 hours
Result:	Induced a G2/M arrest at 10 nM, increased G2/M cells accompanied by a strong reduction of cells in the G1 phase.

Apoptosis Analysis[1]

Cell Line:	HeLa cells
Concentration:	10 nM
Incubation Time:	24 and 48 hours
Result:	Induced caspase-9 activation, PARP cleavage, Bcl-2 phosphorylation and Mcl-1 downregulation.

Immunofluorescence[1]

Cell Line:	HeLa cells
Concentration:	10, 50, and 100 nM
Incubation Time:	24 hours
Result:	Showed the disorganization of microtubules at 10 nM, and much more evident at 50 and 100 nM.

In Vivo

Tubulin polymerization-IN-13 (intraperitoneal injection; 15 or 5 mg/kg; once every other day; 4 times) reduces tumor growth in a dose-dependent manner in an orthotopic murine tumor model[1].

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Animal Model:	Seven-week-old C57BL/6 female mice orthotopically injected into the mammary fat pad with E0771 mammary carcinoma cells[1]
Dosage:	15 or 5 mg/kg
Administration:	Intraperitoneal injection; 15 or 5 mg/kg; once every other day; 4 times
Result:	Reduced tumor mass by 45.7% and 16.9% at 15 and 5 mg/kg, respectively. Showed no sign of toxicity and no decreasement in animal body weight.

REFERENCES

[1]. Paola Oliva, et al. Design, synthesis, in vitro and in vivo biological evaluation of 2-amino-3-aroylbenzo[b]furan derivatives as highly potent tubulin polymerization inhibitors. Eur J Med Chem. 2020 Aug 15;200:112448.

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

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