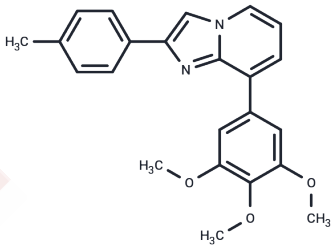
Data Sheet (Cat.No.T212643)

TargetMol

Tubulin polymerization-IN-84

Chemical Properties



CAS No. : 2982893-14-9

Formula: C23H22N2O3

Molecular Weight: 374.43

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tubulin polymerization-IN-84 can inhibit the polymerization process of tubulin (Tubulin) by targeting the colchicine-binding pocket, with an IC50 value of 10.9 μM. Tubulin polymerization-IN-84 exhibits antiproliferative activity against four cell lines, namely Jurkat, B16-F10, HCT116 and MDA-MB-231, with corresponding IC50 values of 60 nM, 380 nM, 138 nM and 1.054 μM, respectively. In B16-F10 cells, Tubulin polymerization-IN-84 can induce G2/M phase cell cycle arrest and further trigger cell apoptosis. In addition, in the B16-F10 melanoma model, it can effectively inhibit the growth of tumor tissue; when combined with PD-L1 monoclonal antibody, it can also enhance the in vivo anti-tumor immune response, and can be used in the related research fields of T-cell acute lymphoblastic leukemia, melanoma, colon cancer and breast cancer.
Targets(IC50)	Apoptosis,Cell Cycle Arrest,Microtubule Associated
In vitro	Tubulin polymerization-IN-84 (Compound 5b) exhibits inhibitory effects on the proliferation of four cell lines, namely Jurkat, B16-F10, HCT116, and MDA-MB-231, with the corresponding IC50 values of 60 nM, 380 nM, 138 nM, and 1.054 μM, respectively [1]. When B16-F10 cells are treated with 0.5-5 μM Tubulin polymerization-IN-84 for 48 hours, it can induce G2/M phase cell cycle arrest. Among them, the proportion of G2/M phase cells in the control group is 9.75%, and that in the 5 μM dose group can reach 81.1% [1]. Likewise, at a concentration of 0.5-5 μM and a treatment duration of 48 hours, Tubulin polymerization-IN-84 can effectively induce apoptosis in B16-F10 cells [1]. Tubulin polymerization-IN-84 can directly bind to β-tubulin, with a KD value of 31.84 μM for the binding between the two [1]. In the concentration range of 0.1-5 μM, Tubulin polymerization-IN-84 can compete with EBI for binding to the colchicine-binding site on β-tubulin in B16-F10 cells in a concentration-dependent manner [1]. Treating B16-F10 cells with 0.5-5 μM Tubulin polymerization-IN-84 for 12 hours can lead to the depolymerization of the microtubule network in the cytoplasm of the cells [1]. When HUVEC cells are treated at a concentration of 0.5-5 μM for 6 hours, Tubulin polymerization-IN-84 can dose-dependently disrupt the capillary-like network structure formed by HUVEC cells on Matrigel matrix and reduce the number of network connection points [1].
In vivo	When Tubulin polymerization-IN-84 is administered by intraperitoneal injection at a dose of 10 mg/kg, once daily for 14 consecutive days, it can effectively inhibit the growth of B16-F10 melanoma tumors in C57BL/6 mice, with a tumor growth inhibition

In vivo	rate (TGI) of 27.5% [1]. When Tubulin polymerization-IN-84 is co-administered with PD-L1 monoclonal antibody (both at a dose of 10 mg/kg, total dose 10 + 10 mg/kg), its anti-tumor efficacy is further enhanced, with the tumor growth inhibition rate (TGI) increased to 36.2%, and no significant weight loss was observed in the mice during the entire administration period [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6707 mL	13.3536 mL	26.7073 mL
5 mM	0.5341 mL	2.6707 mL	5.3415 mL
10 mM	0.2671 mL	1.3354 mL	2.6707 mL
50 mM	0.0534 mL	0.2671 mL	0.5341 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Cheng B, et al. Novel imidazo[1,2-a]pyridine-based tubulin polymerization inhibitors: Structure-activity relationships and anti-tumor immune potentiation. Eur J Med Chem. 2026;302(Pt 2):118356. doi:10. 1016/j. ejmech. 2025. 118356