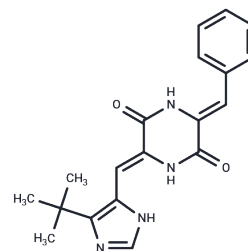


Plinabulin

Chemical Properties

CAS No. :	714272-27-2
Formula:	C ₁₉ H ₂₀ N ₄ O ₂
Molecular Weight:	336.39
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Plinabulin (NPI-2358) (NPI-2358) is a vascular disrupting agent (VDA) against tubulin-depolymerizing tumor cells (IC ₅₀ : 9.8-18 nM). Plinabulin selectively targets and binds to the colchicine-binding site of tubulin, thereby interrupting equilibrium of microtubule dynamics. This disrupts mitotic spindle assembly leading to cell cycle arrest at M phase and blockage of cell division.
Targets(IC ₅₀)	Microtubule Associated
In vitro	NPI-2358 induces a reduction in tumor perfusion in a time- and dose-dependent manner. In mice carrying human plasmacytoma xenografts, NPI-2358 (7.5 mg/kg) significantly inhibits tumor growth. Compared to its efficacy in C3H tumors, NPI-2358 demonstrates superior activity against KHT sarcomas, and its anticancer effectiveness is enhanced when combined with radiation therapy.
In vivo	In human umbilical vein endothelial cells, NPI-2358 (20 nM) rapidly induces the depolymerization of microtubule proteins and penetrates the monolayer of cells. It arrests MM cells in the early phases of mitosis and induces cell death. NPI-2358 binds to the colchicine binding site of microtubule proteins, effectively inhibiting human tumor cell lines that overexpress Pgp, or reducing the catalytic activity of nuclear Topo II (IC ₅₀ : 9.8-18 nM). Moreover, it inhibits microtubule formation and the migration of endothelial and MM cells, leading to dysfunction in the tumor vasculature. NPI-2358 induces mitotic arrest or death in MM cells, but this effect can be deactivated by blocking the JNK pathway.
Cell Research	The adherent cells are plated in 96-well flat-bottomed plates and allowed to attach for 24 hours at 37 °C. HL-60 and HL-60/MX2 cells are plated in 96-well plates on the day of NPI-2358 addition. Serially diluted NPI-2358 is added to cells at concentrations ranging from 2 pM to 20 μM. Cells treated with a final concentration of 0.25% (v/v) DMSO serves as the vehicle control. Cell viability is assessed 48 hours later by measuring the reduction of resazurin with a fluorimeter. The IC ₅₀ value is calculated.(Only for Reference)

Solubility Information

Solubility	DMSO: 50 mg/mL (148.6 mM), Ethanol: < 1 mg/mL (insoluble or slightly soluble), H ₂ O: < 1 mg/mL (insoluble or slightly soluble), < 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9727 mL	14.8637 mL	29.7274 mL
5 mM	0.5945 mL	2.9727 mL	5.9455 mL
10 mM	0.2973 mL	1.4864 mL	2.9727 mL
50 mM	0.0595 mL	0.2973 mL	0.5945 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.

Reference

Nicholson B, et al. Anticancer Drugs, 2006, 17(1), 25-31.

Singh AV, et al. Blood, 2011, 117(21), 5692-5700.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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