# PRODUCT INFORMATION

## Plinabulin

*Item No. 24190*

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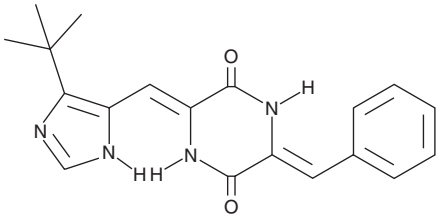
| **CAS Registry No.:** | 714272-27-2 |
| **Formal Name:** | 3Z-[[5-(1,1-dimethylethyl)-1H-imidazol-4-yl]methylene]-6Z-(phenylmethylene)-2,5-piperazinedione |
| **Synonym:** | NPI-2358 |
| **MF:** | $C_{19}H_{20}N_4O_2$ |
| **FW:** | 336.4 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 203, 369 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |



*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

Plinabulin is supplied as a crystalline solid. A stock solution may be made by dissolving the plinabulin in the solvent of choice. Plinabulin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of plinabulin in ethanol is approximately 1 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Plinabulin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, plinabulin should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Plinabulin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Plinabulin is a diketopiperazine that induces complete tubulin depolymerization in human umbilical vein endothelial cells (HUVECs) when used at a concentration of 2 µM.[1] It induces HUVEC monolayer permeability, a marker of vascular collapse, *in vitro*. Plinabulin is cytotoxic against a panel of cancer cell lines, including the multidrug-resistant MES-SA/Dx5 and HL-60/MX2 cell lines ($IC_{50}$s = 4.3-18 nM). It induces cell death in patient-derived multiple myeloma cells without affecting viability of peripheral blood mononuclear cells (PBMCs) *via* inhibition of tubule formation and cell migration as well as induction of mitotic arrest and apoptosis in a JNK-dependent manner.[2] Plinabulin (7.5 mg/kg) reduces tumor size and increases survival in a MM.1S multiple myeloma mouse xenograft model. Formulations containing plinabulin are under clinical investigation for the treatment of solid tumors and lymphomas.

### References


1. Nicholson, B., Lloyd, G.K., Miller, B.R., *et al.* NPI-2358 is a tubulin-depolymerizing agent: *In-vitro* evidence for activity as a tumor vascular-disrupting agent. *Anticancer Drugs* **17(1)**, 25-31 (2006).
2. Singh, A.V., Bandi, M., Raje, N., *et al.* A novel vascular disrupting agent plinabulin triggers JNK-mediated apoptosis and inhibits angiogenesis in multiple myeloma cells. *Blood* **117(21)**, 5692-5700 (2011).





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