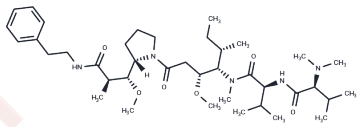
Data Sheet (Cat.No.T14350)

TargetMol

Soblidotin

Chemical Properties



CAS No. : 149606-27-9

Formula: C39H67N5O6

Molecular Weight: 701.98

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Soblidotin (TZT-1027) (Auristatin PE) is an inhibitor of tubulin polymerization. It is also a novel synthetic Dolastatin 10 derivative.
Targets(IC50)	Microtubule Associated
In vitro	Soblidotin (Auristatin PE) inhibits the growth of several tumoral cell lines and induces caspase-3-dependent apoptosis. Soblidotin (Auristatin PE) also shows antitumoral activity in Vincristine-, Docetaxel-, and Paclitaxel-resistant tumors, which makes it a potential chemotherapy drug for use in tumors that do not respond to other microtubule inhibitors [2]. Soblidotin (Auristatin PE) exhibits antitumor activity against p-glycoprotein-overexpressing cell lines established from colon cancer H116 and breast cancer-resistant protein-positive cell lines established from lung cancer PC-6 and is more potent than Vincristine, Paclitaxel, and Docetaxel against these cell lines [1].
In vivo	Auristatin PE (Soblidotin/TZT-1027) demonstrates significant antitumor and antivascular activities across various models. In cancer models, especially those with high VEGF expression and murine colon tumors, it increases vascular permeability, induces vessel closure, and causes extensive hemorrhage. When administered intravenously, Auristatin PE effectively inhibits the growth of P388 leukemic cells and solid tumors in mice, extending survival rates. Its efficacy is on par with or exceeds that of established chemotherapy agents such as Dolastatin 10, Cisplatin, Vincristine, and 5-Fluorouracil. It does not affect the PD184352-mediated inhibition of ERK1/2 phosphorylation, indicating a distinct mechanism of action. Additionally, after administration, it significantly reduces intratumoral blood flow, leading to hemorrhagic necrosis. In HT-29 tumor-bearing mice, a schedule of once every seven days at dosages of 0.5 or 1.0 mg/kg over four cycles effectively inhibits tumor growth in a dose-dependent manner. This effect is corroborated by a decrease in Ki-67 positive proliferating cells and an increase in TUNEL-positive cells, indicating enhanced cell death, particularly when combined with PD184352, within 24 hours post-treatment.

Solubility Information

Solubility	H2O: < 0.1 mg/mL (insoluble) DMSO: 100 mg/mL (142.45 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4245 mL	7.1227 mL	14.2454 mL
5 mM	0.2849 mL	1.4245 mL	2.8491 mL
10 mM	0.1425 mL	0.7123 mL	1.4245 mL
50 mM	0.0285 mL	0.1425 mL	0.2849 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

Yamamoto N, et al. Phase I study of TZT-1027, a novel synthetic dolastatin 10 derivative and inhibitor of tubulin polymerization, given weekly to advanced solid tumor patients for 3 weeks. Cancer Sci. 2009 Feb;100(2):316-21.
Fanale D, et al. Stabilizing versus destabilizing the microtubules: a double-edge sword for an effective cancer treatment option? Anal Cell Pathol (Amst). 2015;2015:690916.
Watanabe K, et al. Blockade of the extracellular signal-regulated kinase pathway enhances the therapeutic efficacy of microtubule-destabilizing agents in human tumor xenograft models. Clin Cancer Res. 2010 Feb 15;16 (4):1170-8.

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