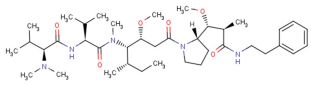
Data Sheet (Cat.No.T14350)

TargetMol
A DRUG SCREENING EXPERT

Soblidotin

Chemical Properties



CAS No.:	149606-27-9
Formula:	C39H67N5O6
Molecular Weight:	701.98
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Soblidotin (Auristatin PE) is an inhibitor of tubulin polymerization. It also is a novel synthetic Dolastatin 10 derivative.
Targets(IC_{50})	Tubulin: None
In vitro	Soblidotin (Auristatin PE) is a novel synthetic dolastatin 10 derivative that inhibits tubulin polymerization and it is a synthetic analog of dolastatin 10 which 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 which 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) shows antivascular effects in tumoral models overexpressing VEGF and in murine colon tumors, with an increase in vascular permeability, vessel closure, and widespread hemorrhage[2]. Intravenous injection of Auristatin PE (TZT-1027) has been shown to potently inhibit the growth of P388 leukemic cells and several solid tumors in mice, and to prolong the survival of the animals, and its antitumor efficacy has been shown to be superior or comparable to that of the reference agents Dolastatin 10, Cisplatin, Vincristine, and 5-Fluorouracil. Coadministration of Auristatin PE does not interfere with the PD184352-induced suppression of ERK1/2 phosphorylation. Furthermore, in xenograft models, Auristatin PE reduces intratumoral blood perfusion 1 to >24 h after its administration, thereby producing hemorrhagic necrosis of the tumors[1]. Mice bearing subcutaneous HT-29 tumors (200 mm3) are dosed every 7 days with Auristatin PE (0.5 or 1.0 mg/kg) for a total of four cycles. Under such conditions, Auristatin PE (TZT-1027) inhibits the growth of HT-29 xenografts in a dose-dependent manner. Immunostaining for Ki-67 as a marker for proliferating cells confirmed that the number of such cells in tumor sections is decreased greatly at 24 hours after the initial dosing with PD184352 compared with that apparent for vehicle-treated tumors. Auristatin PE treatment alone increases the number of TUNEL-positive cells in HT-29 xenografts by 24 hours in a dose-dependent manner, and this effect is enhanced by coadministration of PD184352[3].

Solubility Information

Solubility	DMSO: 100 mg/mL (142.45 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.425 mL	7.123 mL	14.245 mL
5 mM	0.285 mL	1.425 mL	2.849 mL
10 mM	0.142 mL	0.712 mL	1.425 mL
50 mM	0.028 mL	0.142 mL	0.285 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.
3. 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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