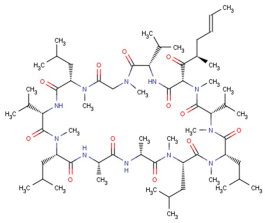
Data Sheet (Cat.No.T17216)

TargetMol
A DRUG SCREENING EXPERT

Valspodar

Chemical Properties



CAS No.:	121584-18-7
Formula:	C63H111N11O12
Molecular Weight:	1214.62
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Valspodar is a P-glycoprotein inhibitor. It is also widely used as overcoming multidrug resistance modulator.
Targets(IC_{50})	Others: None
In vitro	Pretreatment with Valspodar reduces the IC50 value of mitoxantrone in MDA-MB-435mdr cells to 0.4±0.02 μM in MDR cells and almost completely reverses the resistance of MDR cells to mitoxantrone. Valspodar (0.25, 0.5, and 0.75 μg/mL) and DOX-L are added to the DOX resistant cells, and cell kill efficacy of MDR cell type increases significantly when valspodar is administered alongside DOX-L. Valspodar (0.5 and 0.75 μg/mL), in combination with all concentrations of DOX, are most toxic and kill more than 70% of the resistant cells [1][3].
In vivo	Valspodar displays properties of slow clearance and a large volume of distribution. Valspodar shows properties of low hepatic extraction and wide distribution, similar to that of its structural analog cyclosporine A. Valspodar (10 mg/kg, o.p.) shows minimal blood-cell partitioning as reflected in its low mean blood-to-plasma ratio of approximately 0.52. Preadministration of Valspodar to mice enhances mitoxantrone fluorescent intensity in MDR tumors to 94% of that in the wild-type tumors [2][3].

Solubility Information

Solubility	DMSO: 12 mg/mL (9.88 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.823 mL	4.117 mL	8.233 mL
5 mM	0.165 mL	0.823 mL	1.647 mL
10 mM	0.082 mL	0.412 mL	0.823 mL
50 mM	0.016 mL	0.082 mL	0.165 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. Bajelan E, et al. Co-delivery of doxorubicin and PSC 833 (Valspodar) by stealth nanoliposomes for efficient overcoming of multidrug resistance. J Pharm Pharm Sci. 2012 Sep;15(4):568-82.
2. PermissionsZ., et al. Pharmacokinetics of PSC 833 (valspodar) in its Cremophor EL formulation in rat.2010,40(1):55-61.
3. Fei Shen, et al. Dynamic Assessment of Mitoxantrone Resistance and Modulation of Multidrug Resistance by Valspodar (PSC833) in Multidrug Resistance Human Cancer Cells. JPET August 2009,330 (2): 423-429

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