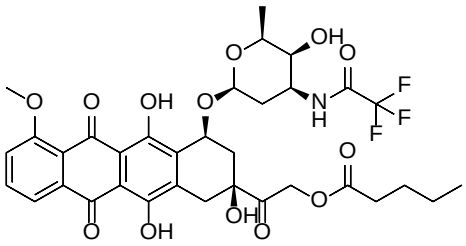
Product data sheet

MedKoo Cat#: 100910 Name: Valrubicin CAS#: 56124-62-0 Chemical Formula: $C_{34}H_{36}F_3NO_{13}$ Exact Mass: 723.2139 Molecular Weight: 723.64	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Valrubicin is a semisynthetic derivative of the antineoplastic anthracycline antibiotic doxorubicin. With a mechanism of action that appears to differ from doxorubicin, valrubicin is converted intracytoplasmically into N-trifluoroacetyladriamycin, which interacts with topoisomerase II, stabilizing the complex between the enzyme and DNA; consequently, DNA replication and repair and RNA and protein synthesis are inhibited and the cell cycle is arrested in the G2 phase. In addition, this agent accumulates in the cell cytoplasm where it inhibits protein kinase C (PKC). Valrubicin is less cardiotoxic than doxorubicin when administered systemically; applied topically, this agent shows excellent tissue penetration.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	78.33	108.24
Ethanol	52.50	72.55
DMF	5.0	6.91

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.38 mL	6.91 mL	13.82 mL
5 mM	0.28 mL	1.38 mL	2.76 mL
10 mM	0.14 mL	0.69 mL	1.38 mL
50 mM	0.03 mL	0.14 mL	0.28 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Laugesen IG, Hauge E, Andersen SM, Stenderup K, de Darkó E, Dam TN, Rosada C. Valrubicin activates PKCa in keratinocytes: a conceivable mode of action in treating hyper-proliferative skin diseases. J Drugs Dermatol. 2013 Oct;12(10):1156-62. PMID: 24085052.

In vivo study

1. Rosada C, Stenderup K, de Darkó E, Dagnaes-Hansen F, Kamp S, Dam TN. Valrubicin in a topical formulation treats psoriasis in a xenograft transplantation model. J Invest Dermatol. 2010 Feb;130(2):455-63. doi: 10.1038/jid.2009.277. Epub 2009 Sep 10. PMID: 19741712.

7. Bioactivity
Biological target: Valrubicin inhibits TPA- and PDBu-induced PKC activation with IC50s of 0.85 and 1.25 μM, respectively.

Product data sheet

In vitro activity

Valrubicin's mode of action in keratinocytes was investigated by studying its possible effect on PKCα activation. PKCα's characteristic to translocate from the cytoplasm to the cellular membrane when activated was assessed by measuring the amount of PKCα in the soluble and membrane-bound protein fractions isolated from valrubicin stimulated keratinocytes and by visualizing PKCα in stimulated cells over time. Downstream signaling was investigated by measuring the amount of phosphorylated Myristoylated Alanine-rich C-kinase substrate (MARCKS) and extracellular signal-regulated kinases (ERK) 1/2 of valrubicin-stimulated keratinocytes. The results indicated that valrubicin activates PKCα in vitro as shown by PKCα's translocation and phosphorylation of downstream signaling molecules.

Reference: J Drugs Dermatol. 2013 Oct;12(10):1156-62. https://jddonline.com/articles/dermatology/S1545961613P1156X

In vivo activity

The effect of treating psoriasis with a daily topical application of valrubicin cream was investigated in a psoriasis xenograft transplantation model. In vivo, valrubicin treatment resulted in a normalization of epidermal morphology and a reduction in epidermal thickness after 12 days. In addition, the dermal vessel pattern was reduced and the stratum granulosum was regained. Staining for a regenerative proliferation marker showed a decrease in keratinocyte proliferation, and scattered epidermal cells showed apoptosis. These results indicated that valrubicin successfully treats psoriasis in a xenograft transplantation model, suggesting that topical valrubicin may become an upcoming treatment for psoriasis.

Reference: J Invest Dermatol. 2010 Feb;130(2):455-63. https://www.jidonline.org/article/S0022-202X(15)34692-3/fulltext