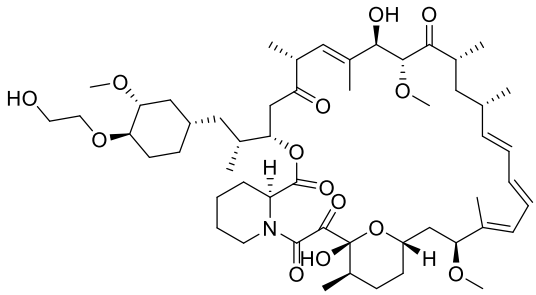
Product data sheet

MedKoo Cat#: 100335 Name: Everolimus CAS#: 159351-69-6 Chemical Formula: $C_{53}H_{83}NO_{14}$ Exact Mass: 957.58136 Molecular Weight: 958.22	
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:

Everolimus, also known as RAD001, is a derivative of the natural macrocyclic lactone sirolimus with immunosuppressant and anti-angiogenic properties. In cells, everolimus binds to the immunophilin FK Binding Protein-12 (FKBP-12) to generate an immunosuppressive complex that binds to and inhibits the activation of the mammalian Target of Rapamycin (mTOR), a key regulatory kinase. Inhibition of mTOR activation results in the inhibition of T lymphocyte activation and proliferation associated with antigen and cytokine (IL-2, IL-4, and IL-15) stimulation and the inhibition of antibody production.

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	97.91	102.18
Ethanol	97.91	102.18

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.04 mL	5.22 mL	10.44 mL
5 mM	0.21 mL	1.04 mL	2.09 mL
10 mM	0.10 mL	0.52 mL	1.04 mL
50 mM	0.02 mL	0.10 mL	0.21 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. Gonçalves BÔP, De Andrade WP, Da Conceição Braga L, Fialho SL, Silva LM. Epithelial-to-mesenchymal transition markers are differentially expressed in epithelial cancer cell lines after everolimus treatment. Oncol Lett. 2020 Nov;20(5):158. doi: 10.3892/ol.2020.12019. Epub 2020 Aug 25. PMID: 32934726; PMCID: PMC7471649.
2. Ashley D, Hernandez J, Cao R, To K, Yegiazaryan A, Abrahem R, Nguyen T, Owens J, Lambros M, Subbian S, Venketaraman V. Antimycobacterial Effects of Everolimus in a Human Granuloma Model. J Clin Med. 2020 Jun 29;9(7):2043. doi: 10.3390/jcm9072043. PMID: 32610643; PMCID: PMC7409120.

In vivo study

1. Chang GR, Hou PH, Wang CM, Wu CF, Su HK, Liao HJ, Chen TP. Chronic everolimus treatment of high-fat diet mice leads to a reduction in obesity but impaired glucose tolerance. Pharmacol Res Perspect. 2021 Apr;9(2):e00732. doi: 10.1002/prp2.732. PMID: 33715287; PMCID: PMC7955951.
2. Chen G, Ding XF, Pressley K, Bouamar H, Wang B, Zheng G, Broome LE, Nazarullah A, Brenner AJ, Kaklamani V, Jatoi I, Sun LZ. Everolimus Inhibits the Progression of Ductal Carcinoma In Situ to Invasive Breast Cancer Via Downregulation of MMP9

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Expression. Clin Cancer Res. 2020 Mar 15;26(6):1486-1496. doi: 10.1158/1078-0432.CCR-19-2478. Epub 2019 Dec 23. PMID: 31871301.

7. Bioactivity

Biological target:

Everolimus (RAD001) is a potent and selective mTOR1 inhibitor that binds to FKBP-12 to generate an immunosuppressive complex.

In vitro activity

Significant differences between TWIST1 RQs normalized to the three controls were observed in the RKO-AS45-1 and BT-549 cell lines following everolimus treatment (Fig. 1B). TWIST1 was downregulated in RKO-AS45-1 compared with untreated BT-549 and WI-26 VA4 cells, but upregulated compared with untreated RKO-AS45-1 cells. In BT-549 cells, TWIST1 was upregulated compared with all three normalization controls. In TOV-21G cells, TWIST1 appeared to be downregulated compared with the control, and no statistical differences were observed between the analyzed groups.

Reference: Oncol Lett. 2020 Nov; 20(5): 158. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7471649/

In vivo activity

To reveal the underlying mechanism of blocking DCIS invasion by mTOR inhibition, this study investigated the effects of mTOR inhibitor on MMP9, a classic invasion-driver protein. As shown in Fig. 6A, everolimus treatment not only inhibited the phosphorylation of P70S6K1 (p-P70S6K1), an mTOR substrate, but also decreased MMP9 protein levels in SUM225 cells. Similarly, the 1-week everolimus treatment also reduced p-P70S6K1 and MMP9 levels in the mammary tumors from the MMTV/neu mice in vivo (Fig. 6B). In addition, IHC assays also revealed reduction of MMP9 expression in both SUM225-MIND and MMTV/neu mouse mammary tissues after the treatment with everolimus in vivo (Fig. 6C and D).

Reference: Clin Cancer Res. 2020 Mar 15;26(6):1486-1496. https://clincancerres.aacrjournals.org/content/26/6/1486.long

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.