

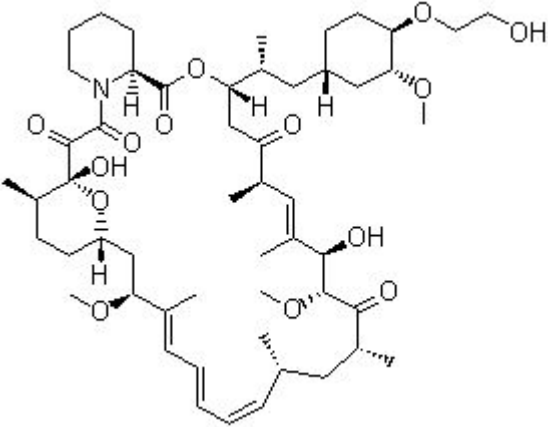


Product Introduction

Everolimus (RAD001)

Everolimus (RAD001) is an mTOR inhibitor of FKBP12 with IC₅₀ of 1.6-2.4 nM.

Technical Data:

Molecular Weight (MW):	958.22	
Formula:	C ₅₃ H ₈₃ NO ₁₄	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 30 mg/mL	
	Water <1 mg/mL	
	Ethanol 7 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months -80°C in DMSO	
CAS No.:	159351-69-6	

Biological Activity

Everolimus exhibits the immunosuppressive activity which is comparable to that of rapamycin. Everolimus competes with immobilized FK 506 for binding to biotinylated FKBP12 and shows the inhibitory effect on a two-way MLR performed with spleen cells from BALB/c and CBA mice with IC₅₀ of 0.12-1.8 nM. ^[1] Everolimus also shows antiangiogenic/vascular effects in VEGF-induced HUVEC proliferation with IC₅₀ of 0.12 nM and bFGF-induced HUVEC proliferation with IC₅₀ of 0.8 nM, respectively. ^[2] A recent study shows that Everolimus shows a dose-dependent inhibitory effects on both the total cells and the stem cells from the BT474 cell line and the primary breast cancer cells with IC₅₀ of 156 nM in total cells of primary breast cancer cells and 71 nM in total cells of BT474 cells. In addition, combination treatment with Everolimus

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and trastuzumab produces the significantly increased inhibition on the growth of cancer stem cells with the inhibition rate increased by more than 50 %.^[3]

Everolimus (0.1 to 10 mg/kg) dose-dependently inhibits growth of the primary (ear) and lymph node metastases of B16/BL6 melanoma, with decreased total number of vessels and reduced mature vessels.^[2]

In a xenograft animal model of BT474 stem cells, Everolimus shows significant reductions in mean tumor sizes (590.6 mm³), compared to the control group with a tumor size of 698 mm³. Furthermore, combination treatment with Everolimus and trastuzumab significantly decreases the xenograft tumor size (410.8 mm³) more than Everolimus treatment alone.^[3]

References

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- [3] Zhu Y, et al. *Tumour Biol*. 2012 Apr 11. Doi: 10.1007/s13277-012-0383-6.
- [4] Boulay A, et al. *Clin Cancer Res*, 2005, 11(14), 5319-5328.
- [5] Cejka D, et al. *Cancer Biol Ther*, 2008, 7(9), 1377-1385.



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