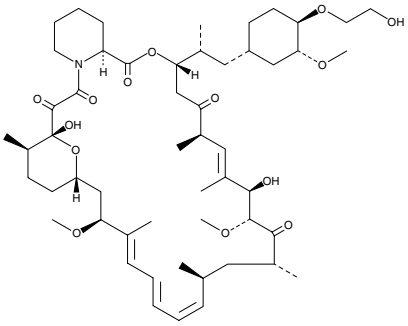
Product Information

Everolimus

Item No. 11597



CAS Registry No.: 159351-69-6
Formal Name: 42-O-(2-hydroxyethyl)-rapamycin
Synonyms: Afinitor®, Certican, NVP-RAD001, RAD001
MF: $C_{53}H_{83}NO_{14}$
FW: 958.2
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 268, 277, 289 nm

Laboratory Procedures

For long term storage, we suggest that everolimus be stored as supplied at -20°C. It should be stable for at least two years.

Everolimus is supplied as a crystalline solid. A stock solution may be made by dissolving the everolimus in the solvent of choice. Everolimus is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of everolimus in ethanol and DMSO is approximately 10 mg/ml and approximately 20 mg/ml in DMF.

Everolimus is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, everolimus should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Everolimus has a solubility of approximately 0.1 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The mammalian target of rapamycin (mTOR) is a serine/threonine kinase that, as part of two distinct complexes (mTORC1 and mTORC2), plays pivotal roles in intracellular signaling.[1-3] Everolimus is a hydroxyethyl ether rapamycin (Item No. 13346) derivative that inhibits mTOR signaling through both mTORC1 and mTORC2 when added to cells at 20 nM.[4,5] It is orally available and shows improved pharmacokinetics and pharmacodynamics over rapamycin.[5] Through its inhibition of mTOR, everolimus inhibits cell proliferation, metabolism, and angiogenesis in certain types of cancer.[5,6] It also acts as an immunosuppressive agent in the context of organ transplantation.[5,7]

References

1. Petroulakis, E., Mamane, Y., Le Bacquer, O., *et al.* mTOR signaling: implications for cancer and anticancer therapy. *Br. J. Cancer* **94**, 195-199 (2006).
2. Dann, S.G., Selvaraj, A., and Thomas, G. mTOR complex1-S6K1 signaling: At the crossroads of obesity, diabetes and cancer. *Trends Mol. Med.* 1-8 (2007).
3. Tao, Z., Barker, J., Shi, S.D.H., *et al.* Steady-state kinetic and inhibition studies of the mammalian target of rapamycin (mTOR) kinase domain and mTOR complexes. *Biochem.* 49(39), 8488-8498 (2010).
4. Zeng, Z., Sarbassov, D.D., Samudio, I.J., *et al.* Rapamycin derivatives reduce mTORC2 signaling and inhibit AKT activation in AML. *Blood* **109(8)**, 3509-3512 (2007).
5. Lebwohl, D., Anak, Ö., Sahmoud, T., *et al.* Development of everolimus, a novel oral mTOR inhibitor, across a spectrum of diseases. *Ann. N. Y. Acad. Sci.* **1291**, 14-32 (2013).
6. Yunokawa, M., Koizumi, F., Kitamura, Y., *et al.* Efficacy of everolimus, a novel mTOR inhibitor, against basal-like triple-negative breast cancer cells. *Cancer Sci.* **103(9)**, 1665-1671 (2012).
7. Gurk-Turner, C., Manitpisitkul, W., and Cooper, M. A comprehensive review of everolimus clinical reports: A new mammalian target of rapamycin inhibitor. *Transplantation* **94(7)**, 659-668 (2012).

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