

Product Specification Sheet

Product Name: RAD001 (Everolimus)

Catalog Number: C7230

Technical information:

Chemical Formula: $C_{53}H_{83}NO_{14}$

CAS #: 159351-69-6

Molecular Weight: 958.22

Purity: > 98%

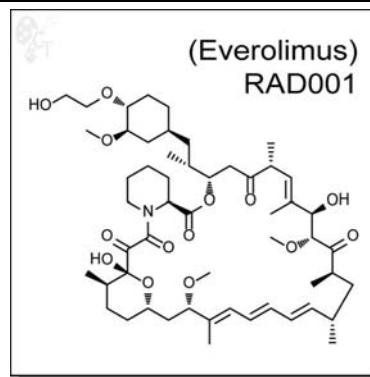
Appearance: white solid

Solubility: Soluble in DMSO up to 30 mM

Chemical Name: 40-O-(2-hydroxyethyl) derivative of sirolimus

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



Handling: • To make 10 mM stock solution, add 0.104mL of DMSO for each mg of RAD001 (Everolimus).

- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: RAD001 (Everolimus) is an orally-bioavailable, semi-synthetic compound with immunosuppressive activity. It has an IC₅₀ against FKBP12 (FK506-binding protein 12) of 1.8-2.6 nM. Moreover, in an IL-6-dependent hybridoma clone, growth factor-stimulated cell proliferation was measured at an IC₅₀ of 0.2-1.4 nM. Immunosuppressant activity was measured in a mouse lymphocyte reaction model and was determined to be 0.2-1.6 nM. In a Human T-cell clone model, its IC₅₀ was 0.05-0.17 nM. (1)

Everolimus binds to FKBP12, thus forming a complex that inhibits mTOR activity and concomitantly reduces downstream markers such as S6 ribosomal protein kinase (S6K1) and eukaryotic elongation factor 4E-binding protein (4EBP). (2)

Everolimus has been used in combination with agents such as Letrozole to inhibit proliferation and trigger apoptosis, which has implications in therapies for hormone-dependent breast cancers. (3)

- Reference:**
1. Nashan, B. et al., Review of the proliferation inhibitor everolimus. *Expert Opin. Investig. Drugs.* 2002, 11(12), 1845-1857. Pubmed ID: 12457444
 2. Atkins et al., Everolimus. *Nat. Rev. Drug Disc.* 2009, 8, 535-536. Pubmed ID: 19568281
 3. Boulay et al., Dual Inhibition of mTOR and Estrogen Receptor Signaling In vitro Induces Cell Death in Models of Breast Cancer. *Clin. Cancer Res.* 2005, 11, 5319-5328. Pubmed ID: 16033851

To reorder: <http://www.cellagentech.com/RAD001-Everolimus/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.