

Small Molecules

Everolimus

mTOR pathway inhibitor; Inhibits FKBP-12

Catalog # 73122
73124

10 mg
25 mg



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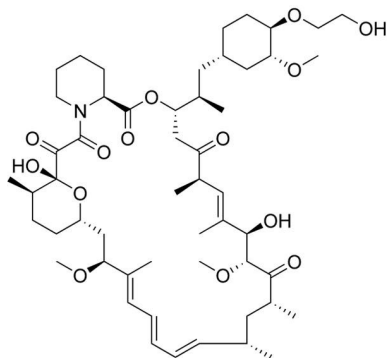
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Product Description

Everolimus is an inhibitor of the mammalian target of rapamycin (mTOR). It is a hydroxyethyl ether-substituted derivative of rapamycin with improved pharmacokinetic and pharmacodynamic properties. It inhibits both mTORC1 and mTORC2 complexes by binding to FK506-binding protein (FKBP-12), which then binds to mTOR, leading to complex destabilization and blocked kinase function (Zeng et al.; Lebwohl et al.; Sedrani et al.; Huang & Houghton).

Molecular Name: Everolimus
Alternative Names: Certican; NVP-RAD001; RAD001; SDZ-RAD; Xience
CAS Number: 159351-69-6
Chemical Formula: $C_{53}H_{83}NO_{14}$
Molecular Weight: 958.2 g/mol
Purity: $\geq 98\%$
Chemical Name: (1R,9S,12S,15R,16E,18R,19R,21R,23S,24E,26E,28E,30S,32S,35R)-1,18-Dihydroxy-12-((1R)-2-((1S,3R,4R)-4-(2-hydroxyethoxy)-3-methoxycyclohexyl)-1-methylethyl)-19,30-dimethoxy-15,17,21,23,29,35-hexamethyl-11,36-dioxo-4-azatricyclo(30.3.1.0(sup 4,9))hexatriaconta-16,24,26,28-tetraene-2,3,10,14,20-pentone

Structure:



Properties

Physical Appearance: A crystalline solid
Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:
· DMSO ≤ 10 mM
· Absolute ethanol ≤ 10 mM
For example, to prepare a 5 mM stock solution in DMSO, resuspend 10 mg in 2.09 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C . Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

IMMUNOLOGY

- Acts as an immunosuppressive agent in the context of organ transplantation (Lebwohl et al.; Wullschlegler et al.).

CANCER RESEARCH

- Inhibits cell proliferation, metabolism, and angiogenesis in a variety of cancers using in vitro and in vivo models (Zhu et al.; Lane et al.; O'Reilly et al.; Lebwohl et al.).

References

- Huang S & Houghton PJ. (2003) Targeting mTOR signaling for cancer therapy. *Curr Opin Pharmacol* 3(4): 371–7.
- Lane HA et al. (2009) mTOR inhibitor RAD001 (everolimus) has antiangiogenic/vascular properties distinct from a VEGFR tyrosine kinase inhibitor. *Clin Cancer Res* 15(5): 1612–22.
- Lebwohl D et al. (2013) Development of everolimus, a novel oral mTOR inhibitor, across a spectrum of diseases. *Ann N Y Acad Sci* 1291: 14–32.
- O'Reilly T et al. (2011) Evaluation of the mTOR inhibitor, everolimus, in combination with cytotoxic antitumor agents using human tumor models in vitro and in vivo. *Anticancer Drugs* 22(1): 58–78.
- Sedrani R et al. (1998) Chemical modification of rapamycin: the discovery of SDZ RAD. *Transplant Proc* 30(5): 2192–4.
- Wullschlegler S et al. (2006) TOR signaling in growth and metabolism. *Cell* 124(3): 471–84.
- Zeng Z et al. (2007) Rapamycin derivatives reduce mTORC2 signaling and inhibit AKT activation in AML. *Blood* 109(8): 3509–12.
- Zhu Y et al. (2012) Antitumor effect of the mTOR inhibitor everolimus in combination with trastuzumab on human breast cancer stem cells in vitro and in vivo. *Tumour Biol* 33(5): 1349–62.

Related Small Molecules

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