Small Molecules

Everolimus

mTOR pathway inhibitor; Inhibits FKBP-12

Catalog #	73122	10 mg
	73124	25 mg

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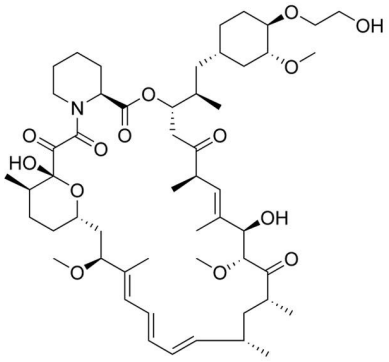
Product Description

Everolimus is an inhibitor of the mammalian target of rapamycin (mTOR). It is a hydroxyethyl ether-substituted derivative of Rapamycin (Catalog #73362) 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 (Huang & Houghton; Lebwohl et al.; Sedrani et al.; Zeng et al.).

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:	≥ 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-dioxa-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. Stable as supplied for 12 months from date of receipt.
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.; Wullschleger et al.).
CANCER RESEARCH
· Inhibits cell proliferation, metabolism, and angiogenesis in a variety of cancers using in vitro and in vivo models (Lane et al.; Lebwohl et al.; O'Reilly et al.; Zhu 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.
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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.

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).