Small Molecules

Everolimus

mTOR pathway inhibitor; Inhibits FKBP-12

Catalog #73122	10 mg
73124	25 mg

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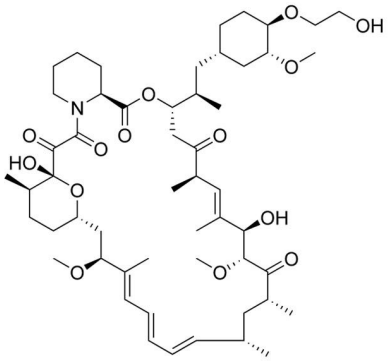
Product Description

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:	RAD001; SDZ-RAD; Xience
CAS Number:	159351-69-6
Chemical Formula:	$C_{53}H_{83}NO_{14}$
Molecular Weight:	958.2 g/mol
Purity:	≥ 95%
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 ≤ 100 mM · Absolute ethanol ≤ 100 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 1.04 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.
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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).