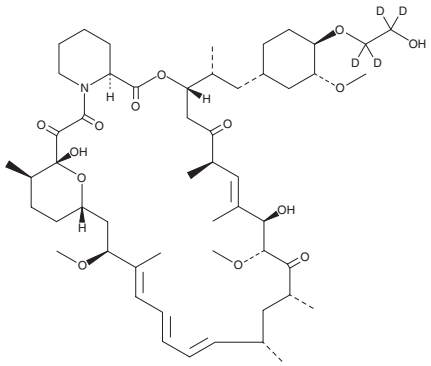
PRODUCT INFORMATION

Everolimus-d_4

Item No. 22559



CAS Registry No.:	1338452-54-2
Formal Name:	42-O-(2-hydroxyethyl-1,1,2,2-d_4)-rapamycin
MF:	$C_{53}H_{79}D_4NO_{14}$
FW:	962.3
Chemical Purity:	≥80% (Everolimus)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_4); ≤1% d_0
Supplied as:	A solid
Storage:	4°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Everolimus-d_4 is intended for use as an internal standard for the quantification of everolimus (Item No. 11597) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Everolimus-d_4 is supplied as a solid. A stock solution may be made by dissolving the everolimus-d_4 in the solvent of choice, which should be purged with an inert gas. Everolimus-d_4 is soluble in organic solvents such as methanol and chloroform.

Description

Everolimus is a hydroxyethyl ether form of rapamycin (Item No. 13346) that inhibits mTOR signaling through both mTORC1 and mTORC2 when added to cells at 20 nM.[1,2] It is orally available and shows improved pharmacokinetics and pharmacodynamics over rapamycin.[2] Through its inhibition of mTOR, everolimus inhibits cell proliferation, metabolism, and angiogenesis in certain types of cancer.[3,4] It also acts as an immunosuppressive agent in the context of organ transplantation.

References

1. 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).
2. 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).
3. 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).
4. 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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