Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Everolimus

Catalog No: tcsc0064

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
159351-69-6

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

Pathway:
PI3K/Akt/mTOR

Target:
mTOR

Purity / Grade:
>98%

Solubility:

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DMSO : ≥ 54 mg/mL (56.35 mM)

Alternative Names:
RAD001;SDZ-RAD

Observed Molecular Weight:
958.22

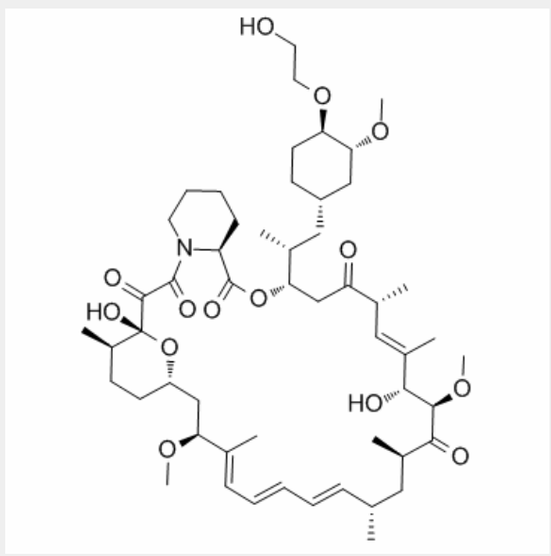
Product Description

Everolimus is a targeted, highly specific agent with an **IC_{50}** for binding to isolated FKBP-12, or **FKBP-12** complexed to **mTOR** of 5 to 6 nM, and no significant activity against other protein kinases.

IC50 & Target: IC50: 5 to 6 nM (mTOR)[1]

In Vitro: Everolimus (RAD001) is an orally active derivative of rapamycin that inhibits the Ser/Thr kinase, mTOR[1]. In both the sensitive murine B16/BL6 melanoma (IC_{50}, 0.7 nM) and the insensitive human cervical KB-31 (IC_{50}, 1,778 nM), antiproliferative concentrations of Everolimus results in total dephosphorylation of S6K1 and the substrate S6 and a shift in the mobility of 4E-BP1, which is indicative of a reduced phosphorylation status[2]. Everolimus exhibits a dose-dependent inhibition in both the total cells and the stem cells from the BT474 cell line and the primary breast cancer cells, albeit with different degrees of growth inhibition. Compare with the total cells, Everolimus is less effective in growth inhibition in the stem cells at all tested concentrations (P50 values of Everolimus for BT474 and the primary CSCs are 2,054 and 3,227 nM, or 29 times and 21 times greater than the IC_{50} values for their corresponding total cells, respectively[3].

In Vivo: Everolimus is orally active in both mice and rats, producing an antitumor effect that is characterized by dramatic reduction in tumor growth rates as opposed to producing tumor regressions. In the rat CA20498 model, daily treatment with Everolimus (0.5 or 2.5 mg/kg) dose-dependently inhibits growth, and intermittent dosing using a higher dose of 5 mg/kg (once or twice per week) also shows similar antitumor efficacy. Inhibition by Everolimus is characterized by sustained suppression rather than regression and is not associated with any body weight loss[1]. The effect of Everolimus treatment (0.1-10 mg/kg/d) is selective and differ from the effects of PTK/ZK (100 mg/kg). With either growth factor, Everolimus dose-dependently increases the hemoglobin content (convert to blood equivalents and indicative of the number of vessels as well as vascular leakiness) but reduces the Tie-2 content (number of endothelial cells indicative of the number of vessels) and this is significant for VEGF stimulation but not bFGF stimulation. The pharmacokinetics of Everolimus in mice shows that maximum levels of only 0.1 μM are achieved in a human tumor xenograft following a single administration, whereas plasma levels reach 1 to 3 μM for ~4 h[2].



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