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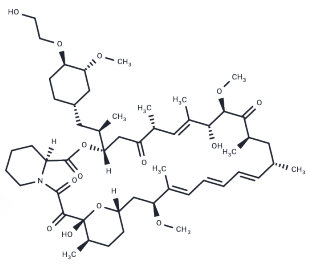
Data Sheet (Cat.No.T1784)

TargetMol

Everolimus

Chemical Properties



CAS No. : 159351-69-6

Formula: C53H83NO14

Molecular Weight: 958.22

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Everolimus (SDZ-RAD) is a potent mTOR inhibitor that binds to FKBP-12. It is used alone or in combination with calcineurin inhibitors.
Targets(IC50)	Apoptosis,Others,mTOR,Autophagy
In vitro	Everolimus competes with immobilized FK 506 for binding to biotinylated FKBP12 (IC50: 0.12-1.8 nM) [1]. RAD001 inhibited proliferation in vitro (IC50 values<1 nM to >1 μM), and pS6 kinase and 4E-BP1 were inhibited. In vitro, RAD001 inhibited the proliferation of VEGF-stimulated and fibroblast growth factor-stimulated human endothelial cells [2]. Everolimus exhibited a dose-dependent inhibition in both the total cells and the stem cells from the BT474 cell line and the primary breast cancer cells. The IC50 values of everolimus for BT474 and the primary CSCs were 2,054 and 3,227 nM, or 29 times and 21 times greater than the IC50 values for their corresponding total cells, respectively [3].
In vivo	In vivo, in tumor models derived from either sensitive or insensitive cells, RAD001 reduced Tie-2 levels, the amount of mature and immature vessels, total plasma, and tumor VEGF. RAD001 did not affect blood vessel leakiness in normal vasculature acutely exposed to VEGF nor did it affect tumor vascular permeability[2]. Compared to the control group, the everolimus, trastuzumab, and drug combination groups showed significant reductions in mean tumor sizes. Compared to the mean xenograft tumor size in the trastuzumab group, the mean tumor size in the everolimus group was larger. When the two drugs were combined, the xenograft tumor size was smaller than those of the groups treated with everolimus or trastuzumab alone [3].
Kinase Assay	Binding to the FK 506 binding protein (FKBP12) was indirectly assessed by means of an ELISA-type competition assay. FK 506 was included in each individual experiment as a standard, and the inhibitory activity is expressed as relative IC50 compared to FK 506 (rIC50 5 IC50 test compound/IC50 FK 506). Details regarding this assay have been reported [1].
Cell Research	BT474 stem cells that were sorted by flow cytometry were cultured in stem cell culture medium in 25-ml cell culture flasks. The cells were divided into four groups: (1) the control group (blank control), (2) the Ever group (100 nM everolimus), (3) the Tz group (10 μg/ml trastuzumab), and (4) the Ever+Tz group (100 nM everolimus and 10 μg/ml trastuzumab). Culture medium with 0.5 % DMSO was added to the blank control group. After treatment, the cells were cultured in an incubator at 37 °C with 5 % CO2 for 24 h before the cells were collected. For cell cycle determination, the cells in the different

	treatment groups were fixed in ice-cold ethanol for 24 h. The ethanol was removed, 500 μl RNase-containing propidium iodide (PI) and 1 mL PBS were added, and the cells were incubated at 4 °C for 30–60 min in the dark. The samples were then sorted by flow cytometry according to each cell cycle stage, and G0/G1 %, S%, and G2/M% were calculated to obtain the cell cycle distribution. To understand the effect of drug treatment on stem cell apoptosis, annexin-FITC and PI were added to the single-cell suspension and mixed well before incubation at room temperature for 5–15 min in the dark. The cells were then sorted by flow cytometry within 1 h after incubation to measure the rate of apoptosis [3].
Animal Research	Cultured BT474 stem cells were collected and pelleted by centrifugation at 1,000 rpm for 5 min. The cells were then washed with serum- and antibiotics-free DMEM medium three times. After the cells were counted, aliquots of cells at 1×10^5/100 μl in serum- and antibiotic-free culture medium in (microcentrifuge tubes) were sent to the animal room under sterile conditions. A volume of 100 μl stem cell suspension was injected beneath the left breast pad of BALB/c nude mice. The injected mice were housed in clean cages under a constant temperature of 20–25 °C with free access to food and water. When the tumor volume was approximately 300 mm3 (approximately 9 days after stem cell injection), the tumor-bearing mice were randomly divided into four groups (five animals/group): (1) the control group (normal saline), (2) the Ever group (2 mg/kg everolimus), (3) the Tz group (5 mg/kg trastuzumab), and (4) the Ever+Tz group (2 mg/kg everolimus and 5 mg/kg trastuzumab); this day was denoted as day 1. Thereafter, the greatest longitudinal diameter (L) and the greatest transverse diameter (W) of the xenograft tumors in mice were measured by caliper in the morning once every 3 days, and tumor volumes were calculated and recorded when the test articles were administered. After the last tumor volume measurement on day 16, the mice were euthanized by cervical dislocation, and tumor specimens were collected and fixed for histochemical assays [3].

Solubility Information

Solubility	Ethanol: 50 mg/mL, DMSO: 50 mg/mL (52.18 mM), H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0436 mL	5.218 mL	10.436 mL
5 mM	0.2087 mL	1.0436 mL	2.0872 mL
10 mM	0.1044 mL	0.5218 mL	1.0436 mL
50 mM	0.0209 mL	0.1044 mL	0.2087 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Zhu Y, et al. Antitumor effect of the mTOR inhibitor everolimus in combination with trastuzumab on human breast cancer stem cells in vitro and in vivo. Tumour Biol. 2012 Oct;33(5):1349-62.
Nian Z, Zheng X, Dou Y, et al.

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