

## Palomid 529

Catalog No: tcsc0258



### Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



### Specifications

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**CAS No:**

914913-88-5

**Formula:**

$C_{24}H_{22}O_6$

**Pathway:**

PI3K/Akt/mTOR

**Target:**

mTOR

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 20.5 mg/mL (50.44 mM; Need ultrasonic and warming)

**Alternative Names:**

P529

**Observed Molecular Weight:**

406.43

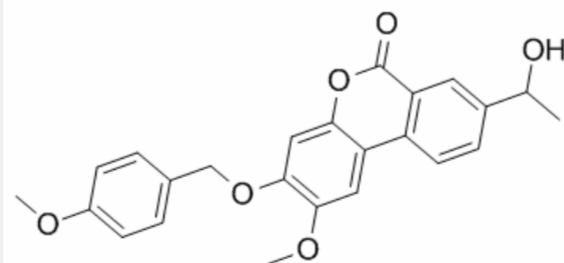
## Product Description

Palomid 529 is a potent inhibitor of **mTORC1** and **mTORC2** complexes.

IC50 & Target: TORC1/TORC2<sup>[1]</sup>

**In Vitro:** Palomid 529 (P529) inhibits both VEGF-driven (IC<sub>50</sub>, 20 nM) and bFGF-driven (IC<sub>50</sub>, 30 nM) endothelial cell proliferation and retained the ability to induce endothelial cell apoptosis<sup>[1]</sup>. Palomid 529 (RES-529) is a PI3K/AKT/mTOR pathway inhibitor that interferes with the pathway through both mTOR complex 1 (mTORC1) and mTOR complex 2 (mTORC2) dissociation. Palomid 529 inhibits mTORC1/mTORC2 activity in various cancer cell lines, as noted by decreased phosphorylation of substrates including ribosomal protein S6, 4E-BP1, and AKT, leading to cell growth inhibition and death, with activity generally in the range of 5-15 μM. At 10 μM concentrations, Palomid 529 reduces the binding of 0.5 nM [<sup>3</sup>H]estradiol to estrogen receptor (ER)α and ERβ by 3% or less. Palomid 529 inhibits both VEGF-stimulated and β fibroblast growth factor-stimulated HUVEC cell proliferation with IC<sub>50</sub> of ~10 and 30 nM, respectively. Treatment of HUVEC cells with Palomid 529 also results in a four-fold induction of apoptosis on the basis of DNA fragmentation. Growth inhibition is observed with Palomid 529 treatment in various cancer cell lines from the National Cancer Institute-60 (NCI-60) tumor panel, with IC<sub>50</sub> ranges of 5-15 μM for central nervous system cancer cells and 5-30 μM for prostate cancer cells<sup>[2]</sup>. Palomid 529 (P529) results in a dose- and time-dependent decrease in Akt activity in PC3, LnCaP, and 22rv1 cells as evidenced by a reduced phosphorylation of Akt (Ser<sup>473</sup>). Similar results are observed in all PCa cells with similar enzymatic IC<sub>50</sub>s of about 0.2 μM. Palomid 529 inhibits the cell proliferation of neoplastic cells at different extent (IC<sub>50</sub>s ranged from 5 to 28 μM), whereas very few effects are observed in non-neoplastic BPH1 and EPN cells. Treatment with Palomid 529 results in a concentration-dependent reduction in viable/proliferating tumor cells compared with non-neoplastic BPH1 and EPN cells. IC<sub>50</sub>s range from 5 to 28 μM<sup>[3]</sup>.

**In Vivo:** Palomid 529 (200 mg/kg/2d) inhibits C6V10 glioma tumor growth in nude mice following i.p. dosing. Analysis of signaling within the tumor lysates reveals that Palomid 529 (P529) also reduces AktS473 but not AktT308 signaling<sup>[1]</sup>. Palomid 529 (RES-529) has shown antitumor activity in a variety of mouse models, including those for glioblastoma, and prostate and breast cancer. In a C6V10 glioblastoma subcutaneous xenograft model, mice pretreated with Palomid 529 (200 mg/kg/2 days, intraperitoneal) 1 week before and for 3 weeks after a tumor cell injection showed an ~70% decrease in tumor volume compared with the control. In another glioblastoma tumor model using human U87 cells, mice treated with micronized Palomid 529 3 days after a tumor cell injection showed a reduction in tumor growth by ~78 and 29% with 50 and 25 mg/kg/2 days, intraperitoneal, Palomid 529, respectively, after 24 days compared with the control<sup>[2]</sup>. Palomid 529 (P529) is able to reduce tumor growth in a dose-dependent manner both in PC3 and 22rv1 xenografts. A 10, 47.6, and 59.3% reduction of tumor mass is demonstrated in mice bearing PC3 xenografts receiving 50, 100, and 200 mg/kg Palomid 529 respectively and a 9, 38.7, and 51.5% reduction of tumor mass in mice bearing 22rv1 xenografts receiving 50, 100, and 200 mg/kg Palomid 529 respectively<sup>[3]</sup>.



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