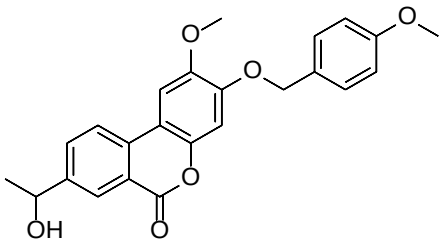
Product data sheet

MedKoo Cat#: 202133 Name: Palomid-529 CAS#: 914913-88-5 Chemical Formula: $C_{24}H_{22}O_6$ Exact Mass: 406.1416 Molecular Weight: 406.43	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Palomid 529, also known as P529, is a novel PI3K/Akt/mTOR inhibitor. Palomid 529 (P529) inhibits the TORC1 and TORC2 complexes and shows both inhibition of Akt signaling and mTOR signaling similarly in tumor and vasculature. It was demonstrated that P529 inhibited tumor growth, angiogenesis, and vascular permeability. It retained the beneficial aspects of tumor vascular normalization that rapamycin boasts. However, P529 showed the additional benefit of blocking pAktS473 signaling consistent with blocking TORC2 in all cells and thus bypassing feedback loops that lead to increased Akt signaling in some tumor cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	24.6

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.46 mL	12.30 mL	24.60 mL
5 mM	0.49 mL	2.46 mL	4.92 mL
10 mM	0.25 mL	1.23 mL	2.46 mL
50 mM	0.05 mL	0.25 mL	0.49 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Xing ZY, Wang Y, Cheng L, Chen J, He XZ, Xing W. Bromodomain-Containing Protein 4 (BRD4) Inhibition Sensitizes Palomid 529-Induced Anti-Renal Cell Carcinoma Cell Activity in Vitro and in Vivo. Cell Physiol Biochem. 2018;50(2):640-653. doi: 10.1159/000494185. Epub 2018 Oct 11. PMID: 30308518.

In vivo study

1. Xing ZY, Wang Y, Cheng L, Chen J, He XZ, Xing W. Bromodomain-Containing Protein 4 (BRD4) Inhibition Sensitizes Palomid 529-Induced Anti-Renal Cell Carcinoma Cell Activity in Vitro and in Vivo. Cell Physiol Biochem. 2018;50(2):640-653. doi: 10.1159/000494185. Epub 2018 Oct 11. PMID: 30308518.

7. Bioactivity
Biological target: Palomid 529 is an inhibitor of mTORC1 and mTORC2 complexes.

In vitro activity

Product data sheet

The potential effect of Palomid 529 on cell proliferation was analyzed. BrdU incorporation ELISA assay was performed, and results show that Palomid 529 dose-dependently inhibited 786-O cell proliferation (Fig. 1C). Apoptosis activation could be a primary mechanism of viability reduction and/or proliferation inhibition. As shown in Fig. 1D, Palomid 529 at 1-10 μM significantly increased the Histone-bound DNA ELISA OD, suggesting apoptosis activation. Additionally, the percentage of apoptotic nuclei was increased in Palomid 529 (1-10 μM)-treated 786-O cells (Fig. 1E). Palomid 529 also induced cleavages of both PARP (Poly (ADP-ribose) polymerases) and caspase-9 in 786-O cells (Fig. 1F). Palomid 529 at 0.1 μM had no significant effect on cell apoptosis (Fig. 1D-F). Together, these results demonstrate that Palomid 529 exerts cytotoxic, anti-proliferative and pro-apoptotic activities in 786-O cells.

Reference: Cell Physiol Biochem. 2018;50(2):640-653. https://www.karger.com/Article/FullText/494185

In vivo activity

The potential effect of Palomid 529 in vivo was tested. 786-O cells (5×106 cells per mouse) were inoculated via s.c. injection to the severe combined immunodeficiency (SCID) mice. Tumor growth curve results show that Palomid 529 (100 mg/kg/2 d, i.p., for 18 days) administration significantly inhibited 786-O xenograft tumor growth in SCID mice (Fig. 7A). The estimated daily tumor growth was calculated by: (tumor volume at Day-42— tumor volume at Day-0)/42. Results show again that Palomid 529 administration inhibited 786-O xenograft tumor growth. At Day-42, the tumors in Palomid 529 treatment group were significantly lighter than the vehicle control tumors (Fig. 7C).

Reference: Cell Physiol Biochem. 2018;50(2):640-653. https://www.karger.com/Article/FullText/494185