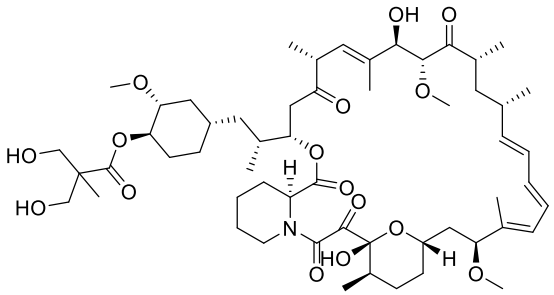
Product data sheet

MedKoo Cat#: 202870 Name: Temsirolimus CAS#: 162635-04-3 Chemical Formula: $C_{56}H_{87}NO_{16}$ Exact Mass: 1029.60249 Molecular Weight: 1030.29	
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:

Temsirolimus is an ester analog of rapamycin. Temsirolimus binds to and inhibits the mammalian target of rapamycin (mTOR), resulting in decreased expression of mRNAs necessary for cell cycle progression and arresting cells in the G1 phase of the cell cycle. mTOR is a serine/threonine kinase which plays a role in the PI3K/AKT pathway that is upregulated in some tumors. Temsirolimus (CCI-779) is an intravenous drug for the treatment of renal cell carcinoma (RCC), developed by Wyeth Pharmaceuticals and approved by the U.S. Food and Drug Administration (FDA) in late May 2007, and was also approved by the European Medicines Agency (EMEA) on November 2007.

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	57.88	56.18
DMF	20.0	19.41
DMF:PBS (pH 7.2) (1:4)	0.20	0.19
Ethanol	71.01	68.92

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	0.97 mL	4.85 mL	9.71 mL
5 mM	0.19 mL	0.97 mL	1.94 mL
10 mM	0.10 mL	0.49 mL	0.97 mL
50 mM	0.02 mL	0.10 mL	0.19 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. Al Mamun Bhuyan A, Cao H, Lang F. Triggering of Eryptosis, the Suicidal Erythrocyte Death by Mammalian Target of Rapamycin (mTOR) inhibitor Temsirolimus. Cell Physiol Biochem. 2017;42(4):1575-1591. doi: 10.1159/000479398. Epub 2017 Jul 24. PMID: 28793293.

2. Li S, Liang Y, Wu M, Wang X, Fu H, Chen Y, Wang Z. The novel mTOR inhibitor CCI-779 (temsirolimus) induces antiproliferative effects through inhibition of mTOR in Bel-7402 liver cancer cells. Cancer Cell Int. 2013 Mar 28;13:30. doi: 10.1186/1475-2867-13-30. PMID: 23537100; PMCID: PMC3632488.

In vivo study

Product data sheet

1. Chang HW, Wu MJ, Lin ZM, Wang CY, Cheng SY, Lin YK, Chow YH, Ch'ang HJ, Chang VHS. Therapeutic Effect of Repurposed Temsirolimus in Lung Adenocarcinoma Model. Front Pharmacol. 2018 Jul 24;9:778. doi: 10.3389/fphar.2018.00778. PMID: 30087612; PMCID: PMC6066584.
2. Washino S, Ando H, Ushijima K, Hosohata K, Kumazaki M, Mato N, Sugiyama Y, Kobayashi Y, Fujimura A, Morita T. Temsirolimus induces surfactant lipid accumulation and lung inflammation in mice. Am J Physiol Lung Cell Mol Physiol. 2014 Jun 15;306(12):L1117-28. doi: 10.1152/ajplung.00251.2013. Epub 2014 May 2. PMID: 24793166.

7. Bioactivity

Biological target:

Temsirolimus is an inhibitor of mTOR with an IC50 of 1.76 μM.

In vitro activity

To determine the mechanism of CCI-779 (temsirolimus) inhibition in Bel-7402 cells, this study examined the activities of proteins in the mTOR signaling pathway by western blot. They were: mTOR and phospho-mTOR (Ser2448), downstream target p70S6K and p-p70S6K(Thr389), S6 and phospho-S6 (Ser240/244), 4EBP1 and p-4EBP1(Thr37/46). As shown in Figure 4, CCI-779 inhibited the phosphorylation of mTOR, p70S6K, S6 and 4EBP1, and slightly suppressed the expressions of mTOR, p70S6K, 4EBP1 and S6 in Bel-7402 cells.

Reference: Cancer Cell Int. 2013; 13: 30. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3632488/

In vivo activity

Next, this study examined the total protein concentration in BALF (BAL fluid) and the amount of EBD (Evans blue dye) in lungs to assess the capillary-alveolar permeability in the lungs. As shown Fig. 1, B and C, the variables significantly increased in mice treated with temsirolimus in a dose-dependent manner. This study further investigated whether temsirolimus induced recruitment of inflammatory cells into the alveolar space. Diff-Quick staining of BAL cells revealed that the number of inflammatory cells, including neutrophils, lymphocytes, monocytes, and eosinophils, were elevated by temsirolimus in a dose-dependent manner. Increases in neutrophils and monocytes were predominantly detected at 2 wk of treatment, whereas lymphocytes in BALF were increased in a treatment duration-dependent manner (Fig. 1, D–F).

Reference: Am J Physiol Lung Cell Mol Physiol. 2014 Jun 15;306(12):L1117-28. https://pubmed.ncbi.nlm.nih.gov/24793166/