

Temsirolimus

mTOR inhibitor

Catalog # inh-tems

For research use only

Version # 15C26-MM

PRODUCT INFORMATION

Contents:

- 10 mg Temsirolimus

Storage and stability:

- Temsirolimus is provided lyophilized and shipped at room temperature. Store at -20 °C. Lyophilized Temsirolimus is stable for 3 years when properly stored.
- Upon resuspension, prepare aliquots of Temsirolimus and store at -20 °C. Resuspended Temsirolimus is stable for 6 months when properly stored.

Quality control:

- Purity: ≥97% (UHPLC)
- The absence of bacterial contamination (e.g. lipoproteins and endotoxins) is confirmed using HEK-Blue™ TLR2 and HEK-Blue™ TLR4 cells.

DESCRIPTION

Temsirolimus is a derivative and prodrug of rapamycin (also known as sirolimus). Temsirolimus specifically inhibits the serine/threonine protein kinase mTOR (mammalian target of rapamycin), an enzyme implicated in multiple intracellular signaling pathways that regulate cell proliferation and survival^{1, 2}. To inhibit mTOR signaling, Temsirolimus interacts with the cytosolic FK506-binding protein 12 (FKBP12) to form a complex which binds the mTOR Complex 1. Through its effects on mTOR, Temsirolimus can inhibit cell proliferation and induce apoptosis, in addition to the inhibition of angiogenesis in cancer models^{3, 4}.

1. Dudkin L. et al., 2001. Biochemical correlates of mTOR inhibition by the rapamycin ester CCI-779 and tumor growth inhibition. *Clin. Cancer Res.* 7, 1758-64. **2. Yu K. et al., 2001.** mTOR, a novel target in breast cancer: The effect of CCI-779, an mTOR inhibitor, in preclinical models of breast cancer. *Endocr. Relat. Cancer* 8(3), 249-258. **3. Li S. et al. 2013.** The novel mTOR inhibitor CCI-779 (temsirolimus) induces antiproliferative effects through inhibition of mTOR in Bel-7402 liver cancer cells. *Cancer Cell Int.* 13(1). **4. Frost P. et al., 2004.** In vivo antitumor effects of the mTOR inhibitor CCI-779 against human multiple myeloma cells in a xenograft model. *Blood.* 104(13):4181-7.

CHEMICAL PROPERTIES

Solubility: 50 mg/ml (48.5 mM) in DMSO

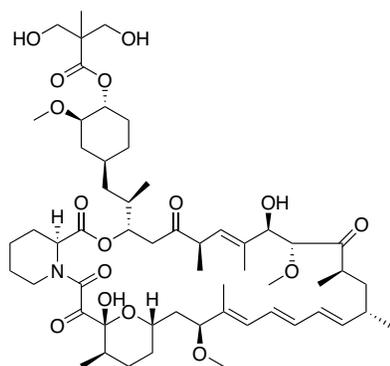
Synonym: Temsirolimus, CCI-779

CAS number: 162635-04-3

Formula: C₅₆H₈₇NO₁₆

Molecular weight: 1030.3

Structure:



METHODS

Preparation of 10 mg/ml (9.7 mM) stock solution

- Add 1 ml of DMSO to 10 mg of Temsirolimus. Mix by vortexing.
- Prepare further dilutions by adding the appropriate amount of endotoxin-free water.

PROTOCOLS (For reference only)

Cell Culture Assay²

- Cells: Human breast cancer cell lines (MDA-468, MDA-435, MDA-231, MCF-7, T-47D, SKBR-3 and BT-474)
- Working concentration: 1 nM - 1 μM
- Treatment time: 3 days
- Method: MTS assay (colorimetric assay for assessing cell viability)

Cell Culture Assay³

- Cells: Bel-7402 liver cancer cells
- Working concentration: 1–20 μM
- Treatment time: 8 days
- Method: Cell proliferation assay (cell counting using the viability dye, trypan blue). Expression of mTOR was assessed by Western blot.

Animal Study²

- Animal model: Xenograft model athymic nu/nu female mice
- Dose: 10, 20 or 40 mg/kg
- Administration: Intraperitoneally for 5 consecutive days

Animal Study⁴

- Animal model: Myeloma xenograft model in NOD/SCID mice
- Dose: 0.4 -40 mg/kg
- Administration: Intraperitoneally each day for 5 days, followed by 2 days of no drug and then 5 additional daily injections (total of 10 injections).

RELATED PRODUCTS

Product	Description	Catalog Code
A-769662	AMPK activator (mTOR inhibitor)	inh-a769
Everolimus	Autophagy inducer - mTOR inhibitor	tlrl-eve
Rapamycin	Autophagy inducer - mTOR inhibitor	tlrl-rap
Resveratrol	Autophagy inducer - mTOR inhibitor	tlrl-resv

TECHNICAL SUPPORT

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