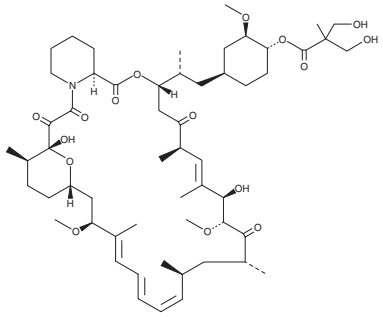
PRODUCT INFORMATION

Temsirolimus

Item No. 11590

CAS Registry No.:	162635-04-3
Formal Name:	42-[3-hydroxy-2-(hydroxymethyl)-2-methylpropanoate] rapamycin
Synonyms:	CCI-779, Torisel®
MF:	$C_{56}H_{87}NO_{16}$
FW:	1030.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 268, 277, 289 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Temsirolimus is supplied as a crystalline solid. A stock solution may be made by dissolving the temsirolimus in the solvent of choice, which should be purged with an inert gas. Temsirolimus is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of temsirolimus in these solvents is approximately 10, 12.5, and 20 mg/ml, respectively.

Temsirolimus is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, temsirolimus should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Temsirolimus has a solubility of approximately 0.20 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Rapamycin (Item No. 13346) is an immunosuppressant that specifically interacts with the cytosolic FK-binding protein 12 to form a complex which inhibits the mammalian target of rapamycin (mTOR) pathway by directly binding to mTOR Complex 1. Temsirolimus is a dihydroxymethyl propionic acid ester of rapamycin with improved solubility that specifically inhibits mTOR signaling with a potency similar to that of rapamycin.[1] Treatment with temsirolimus leads to cell cycle arrest in the G_1 phase and also inhibition of tumor angiogenesis by reducing synthesis of VEGF.[2,3] Temsirolimus demonstrates cytostatic activity in several xenograft models of human tumors in nude mice, including glioblastomas, prostate carcinoma, pancreatic, liver, and breast cancers, and medulloblastoma.[1-4]

References

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2. Wan, X., Shen, N., Mendoza, A., *et al.* CCI-779 inhibits rhabdomyosarcoma xenograft growth by an antiangiogenic mechanism linked to the targeting of mTOR/Hif-1α/VEGF signaling. *Neoplasia* **8(5)**, 394-401 (2006).
3. Yu, K., Toral-Barza, L., Discafani, C., *et al.* 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 (2001).
4. Li, S., Liang, Y., Wu, M., *et al.* 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)**, (2013).

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