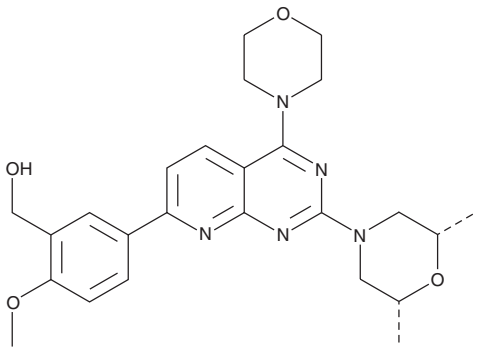
PRODUCT INFORMATION

Ku-0063794

Item No. 13597

CAS Registry No.:	938440-64-3
Formal Name:	*rel*-5-[2-[(2R,6S)-2,6-dimethyl-4-morpholinyl]-4-(4-morpholinyl) pyrido[2,3-d]pyrimidin-7-yl]-2-methoxy-benzenemethanol
MF:	$C_{25}H_{31}N_5O_4$
FW:	465.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 211, 229, 281, 383 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

Ku-0063794 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ku-0063794 in the solvent of choice, which should be purged with an inert gas. Ku-0063794 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of Ku-0063794 in these solvents is approximately 2 and 5 mg/ml, respectively.

Ku-0063794 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Ku-0063794 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ku-0063794 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The mammalian target of rapamycin (mTOR) is a serine-threonine kinase which acts as part of two distinct complexes, TORC1 and TORC2. Both complexes (TORC1/2) play central roles in cell growth, gene expression, angiogenesis, and cell survival.[1] Ku-0063794 is a cell-permeable, selective dual inhibitor of mTORC1 and mTORC2 (IC_{50} = 10 nM).[2] It does not affect the activity of 76 other protein kinases or seven lipid kinases, including PI3Ks.[2] Ku-0063794 inhibits cell growth by inducing G_1-cell cycle arrest and autophagy, but not apoptosis, and inhibits tumor growth in a xenograft model of renal cell carcinoma (8 mg/kg for 46 days).[2-4]

References

1. Carracedo, A. and Pandolfi, P.P. The PTEN-PI3K pathway: Of feedbacks and cross-talks. *Oncogene* **27(41)**, 5527-5541 (2008).
2. García-Martínez, J.M., Moran, J., Clarke, R.G., *et al.* Ku-0063794 is a specific inhibitor of the mammalian target of rapamycin (mTOR). *Biochem. J.* **421(1)**, 29-42 (2009).
3. Fei, S.J., Zhang, X.C., Dong, S., *et al.* Targeting mTOR to overcome epidermal growth factor receptor tyrosine kinase inhibitor resistance in non-small cell lung cancer cells. *PLoS One* **8(7)**, 69104 (2013).
4. Zhang, H., Berel, D., Wang, Y., *et al.* A comparison of Ku0063794, a dual mTORC1 and mTORC2 inhibitor, and temsirolimus in preclinical renal cell carcinoma models. *PLoS One* **8(1)**, 54918 (2013).

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