

PRODUCT INFORMATION

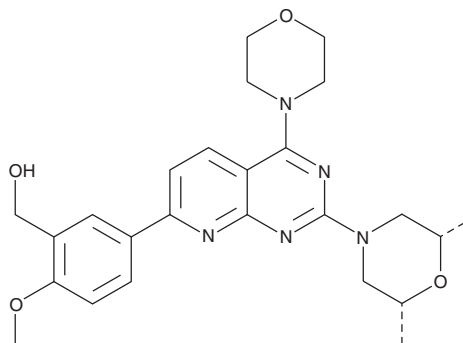


Ku-0063794

Item No. 13597

CAS Registry No.: 938440-64-3
Formal Name: *rel*-5-[2-[(2*R*,6*S*)-2,6-dimethyl-4-morpholinyl]-4-(4-morpholinyl)pyrido[2,3-*d*]pyrimidin-7-yl]-2-methoxy-benzenemethanol

MF: C₂₅H₃₁N₅O₄
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 (IC₅₀ = 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 G₁-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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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