Small Molecules

Ku-0063794

mTOR pathway inhibitor; Inhibits mTORC1 and mTORC2 complexes

Catalog #	73232	5 mg
	73234	50 mg

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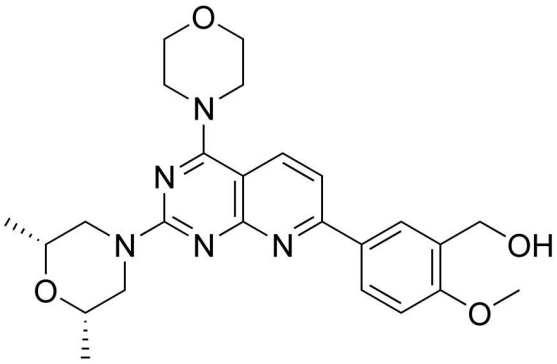
Product Description

Ku-0063794 is a cell-permeable, selective inhibitor of the serine-threonine kinase mammalian target of rapamycin (mTOR), inhibiting both the mTORC1 and mTORC2 complexes (IC_{50} = 10 nM). It shows good specificity (> 1000-fold) against 76 other protein kinases or 7 lipid kinases, including PI3 kinases (García-Martínez et al.).

Molecular Name:	Ku-0063794
Alternative Names:	Not applicable
CAS Number:	938440-64-3
Chemical Formula:	$C_{25}H_{31}N_5O_4$
Molecular Weight:	465.5 g/mol
Purity:	≥ 98%
Chemical Name:	[5-[2-[(2R,6S)-2,6-dimethylmorpholin-4-yl]-4-morpholin-4-ylpyrido[2,3-d]pyrimidin-7-yl]-2-methoxyphenyl]methanol
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 4 mM For example, to prepare a 1 mM stock solution in DMSO, resuspend 5 mg in 10.7 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL
· Extends the lifespan of Toll-like receptor (TLR)-activated dendritic cells by preserving mitochondrial oxidative phosphorylation (Amiel et al.).
CANCER RESEARCH
· Inhibits cell growth by inducing G1-cell cycle arrest in mouse embryonic fibroblasts and human non-small cell lung carcinoma cell lines (Fei et al.; García-Martínez et al.).
· Inhibits tumor growth in a xenograft model of renal cell carcinoma (Zhang et al.).
· Reduces keloid (fibroproliferative dermal lesion) volume in an ex vivo keloid organ culture model, and inhibits keloid cell spreading, proliferation, migration, and invasive properties in vitro (Syed et al.).

References

Amiel E et al. (2014) Mechanistic target of rapamycin inhibition extends cellular lifespan in dendritic cells by preserving mitochondrial function. J Immunol 193(6): 2821–30.
Fei S-J et al. (2013) Targeting mTOR to overcome epidermal growth factor receptor tyrosine kinase inhibitor resistance in non-small cell lung cancer cells. PLoS One 8(7): e69104.
García-Martínez JM et al. (2009) Ku-0063794 is a specific inhibitor of the mammalian target of rapamycin (mTOR). Biochem J 421(1): 29–42.
Syed F et al. (2013) Potent dual inhibitors of TORC1 and TORC2 complexes (KU-0063794 and KU-0068650) demonstrate in vitro and ex vivo anti-keloid scar activity. J Invest Dermatol 133(5): 1340–50.
Zhang H et al. (2013) A comparison of Ku0063794, a dual mTORC1 and mTORC2 inhibitor, and temsirolimus in preclinical renal cell carcinoma models. PLoS One 8(1): e54918.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).