

Product Specification Sheet

Product Name: KU-63794

Catalog Number: C5863

Technical information:

Chemical Formula: C₂₅H₃₁N₅O₄

CAS #: 938440-64-3

Molecular Weight: 465.54

Purity: > 99%

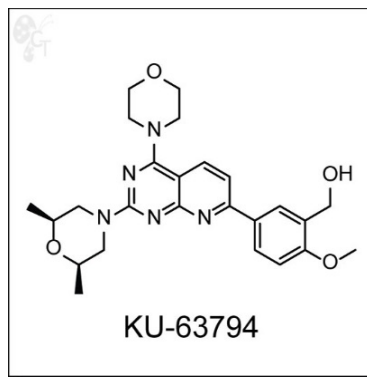
Appearance: Yellow solid

Solubility: Soluble in DMSO up to 30 mM

Chemical Name: (5-(2-((2S,6R)-2,6-dimethylmorpholino)-4-morpholinopyrido[2,3-d]pyrimidin-7-yl)-2-methoxyphenyl)methanol

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.215mL of DMSO for each mg of KU-63794
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: KU0063794 is an azaquinazoline-based [1], potent and highly selective inhibitor of mTORC1/2 with IC₅₀ values of ~10 nM. [2] In a kinase panel at 1 μM KU0063794, none of the kinases, including the PI3K family, were inhibited, with the exception of MAPK kinase-1 which was decreased ~55%. [1] In HEK-293 cells in the presence of serum, KU0063794 inhibited S6K1 phosphorylation at Thr389 at concentrations as low as 30 nM. Consequently, inhibition was also observed at Thr229, along with ribosomal S6 protein. KU0063794 was also shown to inhibit phosphorylation of Akt at Thr308 at an IC₅₀ of 86 nM. [3] In cell cycle studies, cell growth was inhibited and cells in the G1 phase were increased two-fold. [2]

- Reference:**
1. Malagu et al., The discovery and optimisation of pyrido[2,3-d]pyrimidine-2,4-diamines as potent and selective inhibitors of mTOR kinase. *Bioorg. Med. Chem. Lett.* 2009, 19, 5950-5953. Pubmed ID: 19762236
 2. Garcia-Martinez et al., Ku-0063794 is a specific inhibitor of the mammalian target of rapamycin (mTOR). *Biochem. J.* 2009, 421, 29-42. Pubmed ID: 19402821
 3. Liu et al., Kinome-wide selectivity profiling of ATP-competitive mammalian target of rapamycin (mTOR) inhibitors and characterization of their binding kinetics. *J. Biol. Chem.* 2012, 287(13), 9742-9752. Pubmed ID: 22223645

To reorder: <http://www.cellagentech.com/KU-63794/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.