

KU-0063794

Catalog No: tcsc0065

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

938440-64-3

Formula:

 $C_{25}H_{31}N_5O_4$

Pathway:

PI3K/Akt/mTOR

Target: mTOR

Purity / Grade:

>98%

Solubility: DMSO : 16.67 mg/mL (35.81 mM; Need ultrasonic)

Observed Molecular Weight:

465.54

Product Description

KU-0063794 is a potent and highly specific dual-**mTOR** inhibitor, with IC₅₀ of appr 10 nM for **mTORC1** and **mTORC2** in cell-free



assays, but has no effect on PI3Ks.

IC50 & Target: IC50: 10 nM (mTORC1), 10 nM (mTORC2)^[1]

In Vitro: Ku-0063794 is cell permeant, suppresses activation and hydrophobic motif phosphorylation of Akt, S6K and SGK, but not RSK (ribosomal S6 kinase), an AGC kinase not regulated by mTOR. Ku-0063794 also inhibits phosphorylation of the T-loop Thr308 residue of Akt phosphorylated by PDK1 (3-phosphoinositide-dependent protein kinase-1). Ku-0063794 induces a much greater dephosphorylation of the mTORC1 substrate 4E-BP1 (eukaryotic initiation factor 4E-binding protein 1) than rapamycin, even in mTORC2-deficient cells, suggesting a form of mTOR distinct from mTORC1, or mTORC2 phosphorylates 4E-BP1. Ku-0063794 also suppresses cell growth and induced a G1-cell-cycle arrest^[1]. Ku0063794 does not alter nuclear phospho-Mst1-Thr-120 levels in LNCaP cell nuclei, whereas Ku0063794 or CCI-779 increases phospho-Mst1-Thr-120 levels in C4-2 cell nuclei^[2]. The combination of GDC-0941 and KU0063794 inhibits the phosphorylation of 4EBP1 and S6 to a similar extent to that caused by single agent NVP-BEZ235 in HCT116, DLD1 and HT29 cell lines^[3].



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