

Catalog Number: CM05224

产品信息

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CM05224

CAS号:
938440-64-3

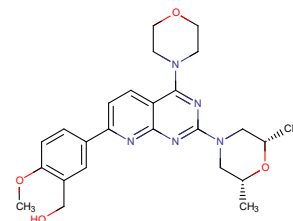
分子式:
 $C_{25}H_{31}N_5O_4$

主要靶点:
mTOR

主要通路:
PI3K/Akt/mTOR 信号通路

分子量:
465.54

溶解度:
DMSO:4.7 mg/mL (10.1 mM)



靶点活性

mTORC2:10 nM|mTORC1:10 nM

体内活性

KU-0063794但不是雷帕霉素以剂量依赖性方式抑制SGK1活性和Ser422磷酸化以及其生理学底物NDGR1,与S6K1和Akt磷酸化程度相同,而KU-0063794不抑制佛波酯诱导的ERK或RSK磷酸化和RSK激活。与雷帕霉素相比,KU-0063794在Thr37,Thr46和Ser65诱导4E-BP1完全去磷酸化方面表现出更显著的效力。KU-0063794抑制野生型和mLST8-缺陷型MEFs细胞生长,也诱导细胞周期停在G1期,比雷帕霉素效果更明显。与mTOR抑制剂PP242相比,KU-0063794对mTOR表现出更高的特异性,因为对PI3K或其他76种激酶无效。在HEK-293细胞中,30 nM的KU-0063794足以通过阻断疏水基序(Thr389)的磷酸化和随后T环残基(Thr229)的磷酸化来快速消除S6K1活性。100-300 nM的KU-0063794也完全抑制氨基酸诱导的S6K1和S6蛋白的磷酸化。类似于S6K1,KU-0063794以剂量依赖性和时间依赖性方式抑制Ser2448处的mTORC1和Ser2481处的mTORC2的磷酸化。

细胞实验

Cells are treated with KU-0063794 for 24, 48, and 72 hours, and the medium is changed every 24 hours with freshly dissolved KU-0063794. For the measurement of cell growth, cells are washed once with PBS, and fixed in 4% (v/v) paraformaldehyde in PBS for 15 minutes. After washing once with water, the cells are stained with 0.1% Crystal Violet in 10% ethanol for 20 minutes and washed three times with water. Crystal Violet is extracted from cells with 0.5 mL of 10% (v/v) ethanoic (acetic) acid for 20 minutes. The eluate is then diluted 1:10 in water and absorbance at 590 nm is quantified. For the assessment of cell cycle distribution, cells are harvested by trypsinization, washed once in PBS, and re-suspended in ice-cold aq. 70% (v/v) ethanol. Cells are washed twice in PBS plus 1% (w/v) BSA and stained for 20 minutes in PBS plus 0.1% (v/v) Triton X-100 containing 50 g/mL propidium iodide and 50 g/mL RNase A. The DNA content of cells is determined using a FACSCalibur flow cytometer and CellQuest software. Red fluorescence (585 nm) is acquired on a linear scale, and pulse width analysis is used to exclude doublets. Cell-cycle distribution is determined using FlowJo software.(Only for Reference)

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.