

Catalog Number: CM02681

## 产品信息

**Catalog Number:**  
CM02681

**CAS号:**  
844499-71-4

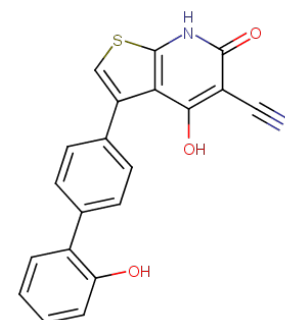
**分子式:**  
C<sub>20</sub>H<sub>12</sub>N<sub>2</sub>O<sub>3</sub>S

**主要靶点:**  
AMPK|Fatty Acid Synthase

**主要通路:**  
代谢|PI3K/Akt/mTOR信号通路|表  
观遗传

**分子量:**  
360.39

**溶解度:**  
DMSO:36 mg/mL (100  
mM),Ethanol:3.6 mg/mL (10 mM)



## 靶点活性

AMPK:0.8 μM(EC50)

## 体外活性

在ob/ob小鼠中,A-769662 (30 mg/kg, b.i.d.) ,能够减少PEPCK、G6Pase和FAS的肝脏表达,降低血浆葡萄糖含量,降低血浆和肝脏中甘油三酯水平,从而减少体重的增加。

## 体内活性

在多种组织和物种纯化得到的AMPK,均能够被A-769662以剂量依赖的方式激活。对于AMPK来源于纯化的大鼠肝脏 (EC50=0.8 μM) 大鼠心脏 (EC50=2.2 mM),大鼠肌肉 (EC50=1.9 mM),或人胚肾细胞(HEKs) (EC50=1.1 mM)。在肝细胞中,A-769662增加ACC磷酸化,抑制脂肪酸合成,原代大鼠肝细胞 (IC50=3.2 μM),小鼠肝细胞 (IC50=3.6 μM)。通过抑制AMPK在Thr-172上的去磷酸化作用和变构调节,A-769662能够激活AMPK。A-769662能够抑制纯化的26S蛋白酶体的体外活性。A-769662能够抑制细胞增殖和DNA合成。

## 细胞实验

Cell viability of MEF cells treated or not with A-769662 is performed as follows: cells are harvested by trypsinization and incubated with 0.5 mg/mL RNase and 50 μg/mL propidium iodine at room temperature in the dark; cell viability is analyzed by flow cytometry using a FACScanto flow cytometer, using an excitation laser at 488 nm and a propidium iodine fluorescence detection at 600 nm. To determine the proportion of cells in each phase of the cell cycle, cells are harvested by trypsinization, collected by centrifugation, washed in PBS and fixed overnight in 80% ethanol at -20 °C. Subsequently, these fixed cells are centrifuged to remove the fixative and incubated for 20 minutes in the dark at room temperature in PBS containing 0.5 mg/mL RNase and 50 μg/mL propidium iodine. Flow cytometry analysis is performed as above. The proportion of cells in G1, S, and G2 is determined using the MODFIT program. Cell culture pictures are taken at the indicated times using a camera coupled to an inverted microscope with a 20× objective. (Only for Reference)

## 描述

A-769662 is an effective, reversible AMPK activator(EC50=0.8 μM).

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years