Product Data Sheet

Chemical Properties

Product Name: A-769662
Cas No.: 844499-71-4
M.Wt: 360.39
Formula: C20H12N2O3S
Synonyms: A-769662; A769662

Chemical Name: 4-hydroxy-3-[4-(2-hydroxyphenyl)phenyl]-6-oxo-7H-thieno[2,3-b]pyridine-5-carbonitrile
Canonical SMILES: C1=CC=C(C(=C1)C2=CC=C(C=C2)C3=CSC4=C3C(=C(C(=O)N4)C#N)O)O
Solubility: ≥18.0195mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Others
Pathways: Others
Description:

A-769662 is a potent activator of AMPK with EC₅₀ value of 0.8 μM in vitro[1]. AMPK (AMP-activated protein kinase) is a serine/threonine protein kinase which is formed by three proteins: α, β, and γ subunits. They play important roles in both the activity and stabilities of AMPK. AMPK functions as sensors of cellular energy by detecting and reacting to the change of...
AMP: ATP ratio. AMPK plays an important role in regulating intracellular energy metabolism. AMPK inhibits ATP-consuming pathways containing cholesterol synthesis, fatty acid synthesis and gluconeogenesis. AMPK stimulates fatty acid oxidation and glycolysis which are ATP-generating processes. AMPK inhibits gluconeogenesis by suppressing the expression of glucose-6-phosphatase and PEPCK which are two key gluconeogenic enzymes.[1]

A-769662 belongs to the thienopyridone family. It activates the activity of purified AMPK from different tissues with a dose-dependent manner. A-769662 activated the activity of AMPK extracted from human embryonic kidney cells (HEKs), rat muscle, or rat heart with EC50 values of 1.1 mM, 1.9 mM, or 2.2 mM, respectively. A-769662 inhibited the synthesis of fatty acid with IC50 value of 3.2 mM in primary rat hepatocytes.[1] A769662 also has inhibition effect on the 26S proteasome with an AMPK-independent mechanism. A769662 does not inhibit the proteolytic activities of the 20S core subunit which is a novel mechanism. A769662 can cause the arrest of cell cycle by inhibiting the 26S proteasome[2]. A-769662 activates AMPK in an allosterically manner. It also inhibits Thr-172 dephosphorylation of AMPK.[3]

In mice treated with dose of 30mg/kg, A-769662 decreased the expression of FAS, G6Pase, and PEPCK in liver of. It also lowered plasma glucose by 40% and reduced body weight gain.[1]

Reference:

Protocol

Cell experiment:

Cell lines
Primary rat hepatocytes.

Preparation method
Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions
4 h.

Applications
A-769662 dose-dependently increases ACC phosphorylation, which is phosphorylated by AMPK. A-769662 inhibits fatty acid synthesis with IC50 value of 3.2 μM. Treatment of rat hepatocytes with A-769662 at concentrations up to 100 μM shows no measurable cytotoxicity.
Animal experiment [3]:

Animal models: Sprague Dawley (SD) rats.

Dosage form: 30 mg/kg; gavaged.

Applications: A-769662 significantly reduces the respiratory exchange ratio (RER) throughout the first 3 h, which is followed by a small but significant increase in RER over the subsequent 3 h. A-769662 reduces malonyl CoA levels in rat livers by 33%.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations


Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage
recommendations on the product data sheet.