

Product Data Sheet

Product Name: Ampkinone

Cat. No.: GC16534

Chemical Properties

Cas. No. 1233082-79-5

Chemical Name 2-(4-benzoylphenyl)-6-hydroxy-7-methoxy-4,4-dimethyl-[1]benzopyrano[3,4-e]isoindole-1,3(2H,4H)-dione

SMILES O=C1N(C2=CC=C(C(C3=CC=CC=C3)=O)C=C2)C(C4=C1C=CC5=C4C(C)(C)OC6=C(O)C(OC)=CC=C65)=O

Formula $C_{31}H_{23}NO_6$

M.Wt 505.5

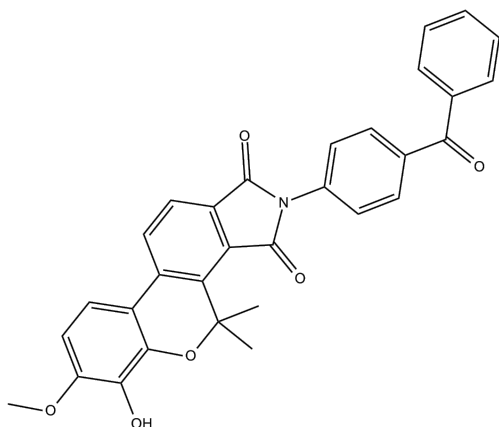
Solubility $\leq 2\text{mg/ml}$ in DMSO; 10mg/ml in dimethyl formamide

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.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Kinase experiment:

Total AMPK activity is measured using a synthetic SAMS peptide substrate and [γ - ^{32} P]ATP. Briefly, 500 μ g of protein extract is incubated with anti-AMPK- α 1 and α 2 antibodies for 2 h at 4°C. Protein A/G sepharose and agarose are added, and the mixtures are incubated for 3 h at 4°C. After the samples are washed three times with RIPA buffer, the activity is assessed in AMPK reaction buffer containing 20 mM HEPES-NaOH (pH 7.0), 0.4 mM Dithiothreitol, and 0.01% Brij-35, with or without 300 μ M AMP. The immune complexes are added to 23 μ L of reaction buffer per assay, and then 7 μ L of SAMS substrate peptide (HMRSAMSGHLVKRR, 100 μ M final concentration) and 10 μ L of ATP mixture (an aliquot of 1 μ Ci/ μ L: 90 μ L of 75 mM magnesium chloride, 500 μ M unlabeled ATP in 20 mM MOPS, pH7.2, 25 mM β -glycerophosphate, 5 mM EGTA, 1 mM sodium orthovanadate, and 1 mM Dithiothreitol) are added, and the mixture is incubated at 30°C for 15 min. After 35 μ L is spotted onto the center of P81 paper, the paper is washed three times with 0.75% phosphoric acid and once with acetone for 5 min. The samples are read using a scintillation counter[1].

Animal experiment:

Mice[1]C57BL/6J mice are used and housed individually in a room maintained at 25°C on a 12/12 h light/dark schedule. For dietinduced obesity (DIO) mice, 4-week-old male C57BL/6J mice are fed a high-fat diet (HFD, 60% calories from fat) ad libitum for 8 weeks. Ampkinone in polyethylene glycol (PEG400) or vehicle is administered subcutaneously at 10 mg/kg body weight per day for 1 month. Body weight and food intake are measured every 3 days. All animals are given an insulin tolerance test (ITT) and sacrificed at 30 days. Liver weight and fat masses are measured, sectioned, and stained with Oil-red O and H&E.

References:

[1]. Oh S, et al. Antidiabetic and antiobesity effects of Ampkinone (6f), a novel small molecule activator of AMP-activated protein kinase. J Med Chem. 2010 Oct 28;53(20):7405-13.

Background

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Ampkinone is an AMPK activator.

Adenosine 5'-monophosphate (AMP) activated protein kinase (AMPK) has considered as an promising target molecule for the treatment of metabolic disorders, such as obesity and type 2 diabetes.

In vitro: Ampkinone was identified as an indirect AMPK activator, which was derived from the small molecule library constructed by diversity-oriented synthesis. Ampkinone was able to stimulate the phosphorylation of AMPK through the indirect activation of AMPK in various cell lines. Moreover, ampkinone-mediated activation of AMPK needed the activity of LKB1 and led to increased glucose uptake in muscle cells [1].

In vivo: Animal study found that ampkinone-treated DIO mice had significantly reduced total body weight and overall fat mass. Histological examination and measurement of lipid parameters showed that ampkinone could effectively improve metabolic abnormalities. These results demonstrated that ampkinone had a potential as a new class of therapeutic agent for antidiabetic and antiobesity treatment through the indirect stimulation of AMPK [1].

Clinical trial: So far, no clinical study has been conducted.

Reference:

[1] Oh, S. ,Kim, S.J.,Hwang, J.H., et al. Antidiabetic and antiobesity effects of ampkinone (6f), a novel small molecule activator of AMP-activated protein kinase. Journal of Medicinal Chemistry 53, 7405-7413 (2010).

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