

Product Data Sheet

Product Name: AGK 2
Cat. No.: GC17881

Chemical Properties

Cas. No. 304896-28-4

Chemical Name (E)-2-cyano-3-(5-(2,5-dichlorophenyl)furan-2-yl)-N-(quinolin-5-yl)acrylamide

SMILES C1C(C(C1=CC=C(/C=C(C#N)/C(NC2=C3C(N=CC=C3)=CC=C2)=O)O1)=C4)=CC=C4Cl

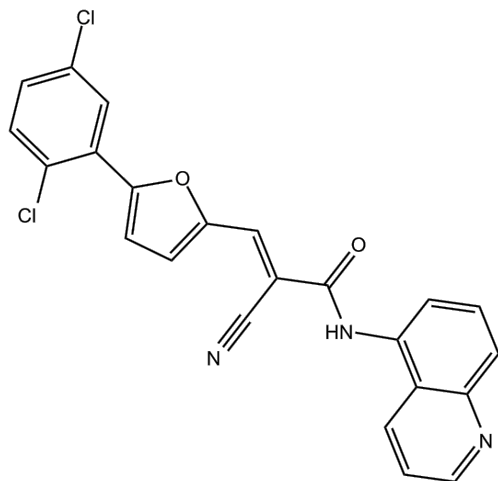
Formula $C_{23}H_{13}Cl_2N_3O_2$ M.Wt 434.27

Solubility $\geq 9.3\text{mg/mL}$ 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.

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

Structure



Protocol

Cell experiment [1]:

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Cell lines	RBL-2H3 cell
Preparation method	Cells were incubated with AGK2, total β -glucosamine activity was measured.
Reaction Conditions	0.01–20 μ M;24 h
Applications	AGK2 treatment reduced high levels of β -hexosaminidase and histamine in RBL-2H3 cells.
Animal experiment [2]:	
Animal models	Male C57BL/6 J mice (6–7 weeks)

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Preparation method	Mice were divided into four groups: namely, control, LPS, AGK2 plus LPS, and AGK2 group. The LPS group was administrated by intraperitoneal injection with LPS (10 mg/kg). The dosage of AGK2 was 1 μmol/mouse. AGK2 (25 mg) was dissolved in DMSO and formed 10 mM mother liquor. Then, the solution was diluted in normal saline (NS) and formed final concentration of AGK2 (400 μM, 4% DMSO). For AGK2 + LPS group and AGK2 group, 2.5 ml AGK2 (400 μM) was injected into abdominal cavities of each mouse. AGK2 was given in AGK2 plus LPS group before LPS administration 2 h. The same volume of 4% DMSO solution was injected in control group as well. All mice were sacrificed, and the brain tissues were harvested at 24 h after LPS treatment.
Dosage form	1 μ mol/mouse; i.p;26h
Applications	AGK2 suppressed the expression of inflammatory cytokines after LPS treatment in mice brain.

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References:

- [1]. Kim YY, Hur G, et.al. AGK2 ameliorates mast cell-mediated allergic airway inflammation and fibrosis by inhibiting FcεRI/TGF-β signaling pathway. Pharmacol Res. 2020 Sep;159:105027. doi: 10.1016/j.phrs.2020.105027. Epub 2020 Jun 18. PMID: 32565308.
- [2]. Jiao F, Wang Y, et.al. AGK2 Alleviates Lipopolysaccharide Induced Neuroinflammation through Regulation of Mitogen-Activated Protein Kinase Phosphatase-1. J Neuroimmune Pharmacol. 2020 Jun;15(2):196-208. doi: 10.1007/s11481-019-09890-x. Epub 2019 Nov 30. PMID: 31786712.

Background

AGK2 is a selective SIRT2 inhibitor, with an IC₅₀ of 3.5 μM. AGK2 inhibits SIRT1 and SIRT3 with IC₅₀ of 30 and 91 μM, respectively[1,2].

AGK2(0.01-20 μM;24 h) inhibits mast cell degranulation in vitro, AGK2 treatment reduced high levels of β-hexosaminidase and histamine in RBL-2H3 cells[3]. AGK2(1-5 μM;24 h) suppress cell growth and migration by inhibiting HSF1 protein stability in HeLa cells[4]. Sirtuin 2 (SIRT2) inhibition by AGK2 significantly enhances the BCG vaccine efficacy during primary infection and TB recurrence through enhanced stem cell memory (TSCM) responses[5].

AGK2(1 μmol/mouse;i.p;26h) could inhibit the activation of BV2 microglia and expression of iNOS and SIRT2 in LPS treated mice brain tissue[6]. AGK2 (1μmol/mouse;i.p;26h)pretreatment also reduced the levels of pro-inflammatory cytokines in acute liver failure (ALF) liver tissues. AGK2 improved the thioacetamide (TAA)-induced survival rate[7]. AGK2(82 mg/kg;i.p;7days) treatment inhibited serum HBV DNA, HBeAg and HBsAg levels as well as hepatic HBV DNA, RNA and HBc in the HBV transgenic mice[8].

References:

- [1]. Tatum PR, Sawada H, et.al. Identification of novel SIRT2-selective inhibitors using a click chemistry approach. Bioorg Med Chem Lett. 2014 Apr 15;24(8):1871-4. doi: 10.1016/j.bmcl.2014.03.026. Epub 2014 Mar 20. PMID: 24675380.
- [2]. Outeiro TF, Kontopoulos E, et.al. Sirtuin 2 inhibitors rescue alpha-synuclein-mediated toxicity in models of Parkinson's disease. Science. 2007 Jul 27;317(5837):516-9. doi: 10.1126/science.1143780. Epub 2007 Jun 21. PMID: 17588900.

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- [3]. Kim YY, Hur G, et.al. AGK2 ameliorates mast cell-mediated allergic airway inflammation and fibrosis by inhibiting FcεRI/TGF-β signaling pathway. *Pharmacol Res.* 2020 Sep;159:105027. doi: 10.1016/j.phrs.2020.105027. Epub 2020 Jun 18. PMID: 32565308.
- [4]. Kim HW, Kim SA, et.al. Sirtuin inhibitors, EX527 and AGK2, suppress cell migration by inhibiting HSF1 protein stability. *Oncol Rep.* 2016 Jan;35(1):235-42. doi: 10.3892/or.2015.4381. Epub 2015 Nov 2. PMID: 26530275.
- [5]. Bhaskar A, Pahuja I, et.al. SIRT2 inhibition by AGK2 enhances mycobacteria-specific stem cell memory responses by modulating beta-catenin and glycolysis. *iScience.* 2023 Apr 10;26(5):106644. doi: 10.1016/j.isci.2023.106644. PMID: 37192966; PMCID: PMC10182326.
- [6]. Jiao F, Wang Y, et.al. AGK2 Alleviates Lipopolysaccharide Induced Neuroinflammation through Regulation of Mitogen-Activated Protein Kinase Phosphatase-1. *J Neuroimmune Pharmacol.* 2020 Jun;15(2):196-208. doi: 10.1007/s11481-019-09890-x. Epub 2019 Nov 30. PMID: 31786712.
- [7]. Jiao FZ, Wang Y, et.al. Protective role of AGK2 on thioacetamide-induced acute liver failure in mice. *Life Sci.* 2019 Aug 1;230:68-75. doi: 10.1016/j.lfs.2019.05.061. Epub 2019 May 23. PMID: 31129140.
- [8]. Yu HB, Jiang H, et.al. AGK2, A SIRT2 Inhibitor, Inhibits Hepatitis B Virus Replication In Vitro And In Vivo. *Int J Med Sci.* 2018 Sep 7;15(12):1356-1364. doi: 10.7150/ijms.26125. PMID: 30275764; PMCID: PMC6158674.

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