

Product Data Sheet

Product Name: Atglistatin

Cat. No.: GC15770

Chemical Properties

Cas. No. 1469924-27-3

Chemical Name 3-[3-[4-(dimethylamino)phenyl]phenyl]-1,1-dimethylurea

SMILES CN(C)C1=CC=C(C=C1)C2=CC(=CC=C2)NC(=O)N(C)C

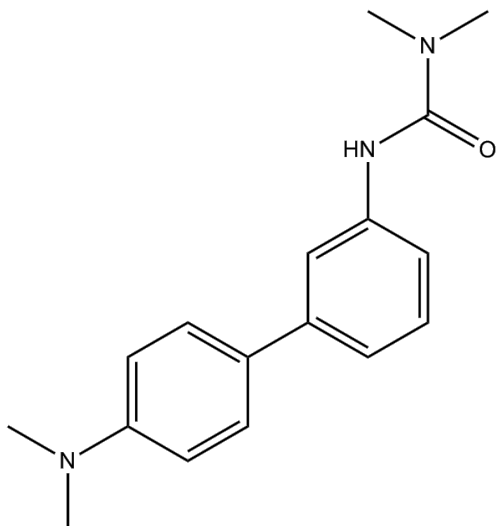
Formula $C_{17}H_{21}N_3O$ M.Wt 283.37

Solubility $\geq 14.15\text{mg/mL}$ 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 B16-F10, C26, CT26, LLC, and HepG2 cells

Preparation Method B16-F10, C26, CT26, LLC, and HepG2 cells were cultured in RPMI 1640 medium. All media were supplemented with 10% fetal bovine serum, 100IU/L penicillin, and 0.1mg/L streptomycin. Cells were treated with Atglistatin (40μM) dissolved in dimethyl sulfoxide (DMSO).

Reaction Conditions 40μM; 50h, 100h, 150h

Applications Atglistatin treatment reduced proliferation of all cancer cells.

Animal experiment [2]:

Animal models Isoprenaline (ISO) mouse model

Preparation Method 6–9 weeks old male 129/Sv mice received four repetitive subcutaneous injections of ISO hydrochloride (25 mg/kg BW/day), and saline served as vehicle. Atglistatin (ATGLi) was mixed with control diet in a final concentration of 2mM/kg. Atglistatin application was started 5 days prior to first ISO injection. Mice were pair-fed depending on food intake of Atglistatin-fed mice. 14 Animals were randomized by body weight into four groups (CTRL/VEH, CTRL/ISO, ATGLi/VEH, and ATGLi/ISO) and allocated to two different study protocols. For investigation of short-term treatment effects, a first subgroup of mice [n = 10 (CTRL/VEH), n = 11 (CTRL/ISO), n = 8 (ATGLi/VEH), n = 9 (ATGLi/ISO)] was sacrificed 2 days after the final ISO application. To analyse long-term treatment effects, a second group of mice [n = 7 (CTRL/VEH), n = 12 (CTRL/ISO), n = 13 (ATGLi/VEH), n = 10 (ATGLi/ISO)] was sacrificed 12 days after the last ISO injection. The blood serum and tissue samples were collected for further analysis.

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Dosage form 2mM/kg; po; 7d, 14d

Applications Atglistatin exerts its cardioprotective effects by modulating fatty acid release from white adipose tissue.

References:

- [1]. Xie H, Heier C, Kien B, et al. Adipose triglyceride lipase activity regulates cancer cell proliferation via AMP-kinase and mTOR signaling. *Biochimica et Biophysica Acta (BBA)-Molecular and Cell Biology of Lipids*. 2020 Sep 1; 1865(9): 158737.
- [2]. Thiele A, Luettgies K, Ritter D, et al. Pharmacological inhibition of adipose tissue adipose triglyceride lipase by Atglistatin prevents catecholamine-induced myocardial damage. *Cardiovascular research*. 2022 Jul 1; 118(11): 2488-2505.

Background

Atglistatin is a selective adipose triglyceride lipase (ATGL) inhibitor ($IC_{50} = 0.7\mu M$) [1]. Atglistatin inhibits ATGL and reduces the decomposition of triglycerides, thereby affecting the release of fatty acids and energy metabolism [2]. Atglistatin is mainly used to treat myocardial damage [3].

In B16-F10, C26, CT26, LLC, and HepG2 cells, Atglistatin (40 μM ; 50h, 100h, 150h) treatment reduced proliferation of all cancer cells [4]. In HT29 cells, Atglistatin (40 μM ; 24h) inhibits the migration and growth of colon cancer cells [5]. In A549 cells, Atglistatin (50 μM , 100 μM ; 24h) slows the growth of cancer cells [6].

In isoprenaline mouse model, Atglistatin (2mM/kg; po; 7d, 14d) exerts its cardioprotective effects by modulating fatty acid release from white adipose tissue [3]. In isoprenaline (ISO) mouse model, Atglistatin (2mM/kg; po; 7d) ameliorates ISO-induced cardiac hypertrophy and fibrosis [7]. In the myocardial infarction model, Atglistatin (100 μM /kg; po; 2d) treated mice showed significant improvement in cardiac systolic pump function [8].

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- [1]. Mayer N, Schweiger M, Romauch M, et al. Development of small-molecule inhibitors targeting adipose triglyceride lipase. *Nature chemical biology*. 2013 Dec; 9(12): 785-787.
- [2]. Han SL, Liu Y, Limbu SM, et al. The reduction of lipid-sourced energy production caused by ATGL inhibition cannot be compensated by activation of HSL, autophagy, and utilization of other nutrients in fish. *Fish Physiology and Biochemistry*. 2021 Feb; 47: 173-188.
- [3]. Thiele A, Luettgies K, Ritter D, et al. Pharmacological inhibition of adipose tissue adipose triglyceride lipase by Atglistatin prevents catecholamine-induced myocardial damage. *Cardiovascular research*. 2022 Jul 1; 118(11): 2488-2505.
- [4]. Xie H, Heier C, Kien B, et al. Adipose triglyceride lipase activity regulates cancer cell proliferation via AMP-kinase and mTOR signaling. *Biochimica et Biophysica Acta (BBA)-Molecular and Cell Biology of Lipids*. 2020 Sep 1; 1865(9): 158737.
- [5]. Iftikhar R, Penrose HM, King AN, et al. Elevated ATGL in colon cancer cells and cancer stem cells promotes metabolic and tumorigenic reprogramming reinforced by obesity. *Oncogenesis*. 2021 Nov 29; 10(11): 82.
- [6]. Zagani R, El-Assaad W, Gamache I, et al. Inhibition of adipose triglyceride lipase (ATGL) by the putative tumor suppressor G0S2 or a small molecule inhibitor attenuates the growth of cancer cells. *Oncotarget*. 2015 Jul 31; 6(29): 28282.
- [7]. Takahara S, Ferdaoussi M, Srnic N, et al. Inhibition of ATGL in adipose tissue ameliorates isoproterenol-induced cardiac remodeling by reducing adipose tissue inflammation. *American Journal of Physiology-Heart and Circulatory Physiology*. 2021 Jan 1; 320(1): H432-H446.
- [8]. Bottermann K, Granade ME, Oenarto V, et al. Atglistatin pretreatment preserves remote myocardium function following myocardial infarction. *Journal of Cardiovascular Pharmacology and Therapeutics*. 2021 May; 26(3): 289-297.

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