

Product Data Sheet

Product Name: ETC-1002 (ESP-55016)

Cat. No.: GC33760

Chemical Properties

Cas. No. 738606-46-7

Chemical Name 8-hydroxy-2,2,14,14-tetramethylpentadecanedioic acid

SMILES O=C(O)C(C)(C)CCCCC(O)CCCCC(C)(C)C(=O)O

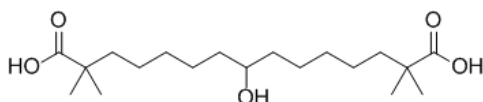
Formula $C_{19}H_{36}O_5$ M.Wt 344.49

Solubility DMSO : 100 mg/mL (290.28 mM) 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]:

Cell lines RAW264.7 murine macrophage cell

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

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Preparation Method ETC-1002 (50 or 100μM) incubated RAW264.7 murine macrophage cell for 1h before treated with *Pg*-LPS (10μg/mL) for 12h.

Reaction Conditions 50 or 100μM; 1h

Applications ETC-1002 treatment ameliorated *Pg*-LPS-induced inflammation in RAW264.7 cells via the AMPK signaling pathway.

Animal experiment [2]:

Animal models Male Wistar Han rat

Preparation Method After refed a high-carbohydrate diet, rats were maintained on standard chow diet and dosed by oral gavage with ETC-1002 at 30mg/kg/day for two weeks in the morning.

Dosage form 30mg/kg; oral gavage; daily for 2 weeks

Applications ETC-1002 lowered hepatic triglycerides and plasma non-HDL-cholesterol, increased circulating β-hydroxybutyrate and HDL-cholesterol, and reduced plasma triglycerides, thereby enhancing β-oxidation and exerting potent lipid-lowering activity without evidence of hepatotoxicity.

References:

[1] Li H Y, Zhang P P, Lin H B, Bao H, Yin J Y. ETC-1002 Attenuates Porphyromonas gingivalis Lipopolysaccharide-Induced Inflammation in RAW264.7 Cells via the AMPK/NF-κB Pathway and Exerts Ameliorative Effects in Experimental Periodontitis in Mice. Dis Markers. 2022 Mar 16;2022:8583674.

[2] Pinkosky S L, Filippov S, Srivastava R A K, et al. AMP-activated protein kinase and ATP-citrate lyase are two distinct molecular targets for ETC-1002, a novel small molecule regulator of lipid and carbohydrate metabolism. J Lipid Res. 2013 Jan;54(1):134-51.

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Background

ETC-1002 (ESP-55016) is a novel, once-daily oral lipid-lowering agent that inhibits adenosine triphosphate citrate lyase (ACL), an enzyme in the cholesterol synthesis pathway, and leads to upregulation of low-density lipoprotein cholesterol (LDL) receptors with half life between 15 and 24h^[1]. ETC-1002 also activates AMP-activated protein kinase (AMPK), a master kinase that regulates whole body energy metabolism and inhibits fatty acid and cholesterol synthesis pathways^[2].

In vitro, ETC-1002 (50 or 100μM) incubation on RAW264.7 murine macrophage cell for 1h before *Pg*-LPS (10μg/mL) stimulation ameliorated *Pg*-LPS-induced inflammation in RAW264.7 cells via the AMPK signaling pathway^[3]. ETC-1002 (100μM) treatment on hepatocellular carcinoma (HCC) cells overexpressing oncogene *one cut homeobox 2* (ONECUT2) for 12h strongly increased AMPK phosphorylation and markedly inhibited cell migration and invasion, with the effects amplified by combined with the FGFR1 inhibitor PD173074^[4]. ETC-1002 (10μM) treatment on human intestinal epithelial NCM460 cells for 12h followed by LPS (1μg/ml) stimulation for 12h suppressed LPS-induced acetyl-CoA elevation and STAT3 acetylation^[5].

In vivo, ETC-1002 (30mg/kg/day) administrated into male Wistar Han rat refed a high-carbohydrate diet for two weeks via oral gavage reduced liver triglyceride, forkhead box protein O1 (FOXO1) and hepatocyte nuclear factor (HNF-4) protein levels, and reduced markers of oxidative metabolism^[6]. ETC-1002 (30mg/kg/day) administrated into thioglycollate-induced peritonitis mice models via oral gavage for 72h inhibited transmigration of both neutrophils and macrophages during the inception and propagation of inflammatory response by altering expression of matrix metalloproteinase^[7].

References:

- [1] Saeed A, Ballantyne C M. Bempedoic Acid (ETC-1002): A Current Review. *Cardiol Clin*. 2018 May;36(2):257-264.
- [2] Bilen O, Ballantyne C M. Bempedoic Acid (ETC-1002): an Investigational Inhibitor of ATP Citrate Lyase. *Curr Atheroscler Rep*. 2016 Oct;18(10):61.
- [3] Li H Y, Zhang P P, Lin H B, Bao H, Yin J Y. ETC-1002 Attenuates *Porphyromonas gingivalis* Lipopolysaccharide-Induced Inflammation in RAW264.7 Cells via the AMPK/NF-κB Pathway and Exerts Ameliorative Effects in Experimental Periodontitis in Mice. *Dis Markers*. 2022 Mar 16:2022:8583674.

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- [4] Liu D F, Zhang T Y, Chen X P, et al. ONECUT2 facilitates hepatocellular carcinoma metastasis by transcriptionally upregulating FGF2 and ACLY. Cell Death Dis. 2021 Nov 27;12(12):1113.
- [5] Li X Y, Xiang Z X, Wang X L, et al. Metformin attenuates colitis via blocking STAT3 acetylation by reducing acetyl-CoA production. J Adv Res. 2025 Mar 31:S2090-1232(25)00218-8.
- [6] Pinkosky S L, Filippov S, Srivastava R A K, et al. AMP-activated protein kinase and ATP-citrate lyase are two distinct molecular targets for ETC-1002, a novel small molecule regulator of lipid and carbohydrate metabolism. J Lipid Res. 2013 Jan;54(1):134-51.
- [7] Filippov S, Pinkosky S L, Lister R J, et al. ETC-1002 regulates immune response, leukocyte homing, and adipose tissue inflammation via LKB1-dependent activation of macrophage AMPK. J Lipid Res. 2013 Aug;54(8):2095-2108.

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