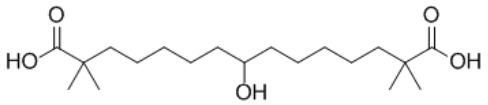
Product Data Sheet

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)CCCCCC(O)CCCCCC(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

Product Data Sheet

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- ?B 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.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

[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.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA