

Bempedoic acid

Chemical Properties

CAS No. : 738606-46-7

Formula: C₁₉H₃₆O₅

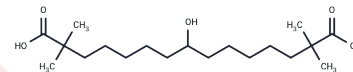
Molecular Weight: 344.49

Storage:

Keep away from moisture, Keep away from direct sunlight

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Bempedoic acid (ETC1002) is an orally available, once-daily LDL-C lowering small molecule designed to lower elevated levels of LDL-C and to avoid side effects associated with existing LDL-C lowering therapies. Bempedoic acid(ETC1002) is absorbed rapidly in the small intestine and enters the liver through cell surface receptors different from those transporters that selectively take up statins. Bempedoic acid(ETC1002) is a regulator of lipid and carbohydrate metabolism.
Targets(IC50)	ATP Citrate Lyase,AMPK
In vitro	ETC-1002 is an inactivated prodrug that is transformed into its activated form, an ACL inhibitor (activated state, ETC-1002-CoA), through endogenous liver ACS activity in vivo. It inhibits the migration of leukocytes to the peritoneal cavity of mice induced by sulfatide. Additionally, ETC-1002 restores the activity of lipid AMPK and reduces the phosphorylation of JNK in diet-induced obesity mouse models, leading to a decrease in the expression of the macrophage-specific marker 4F/80.
In vivo	The mechanism of action of TC-1002 primarily targets two liver enzymes: ATP-citrate lyase (ACL) and AMP-activated protein kinase (AMPK), inhibiting the synthesis of steroids and fatty acids while promoting the oxidation of mitochondrial long-chain fatty acids. ETC-1002 enhances AMPK phosphorylation levels, reduces the activity of MAPKs, and diminishes the production of pro-inflammatory cytokines and chemokines.
Kinase Assay	7.5× compounds are added to a 96-well PolyPlate containing 60 μL of Buffer per well with substrates CoA (200 μM), ATP (400 μM), and [14C]citrate. Reaction is started with 4 μL (300 ng/well) ACL, and the plate is incubated at 37°C for 3 h. Th
Cell Research	Primary rat hepatocytes and differentiated human MDMs are treated with indicated concentrations of ETC-1002 for 12 h. Working solutions of ETC-1002 are prepared in serum-free RPMI 1640 containing 12 mM HEPES, 10,000 U/ml penicillin, and 100 μg/ml streptomycin. (Only for Reference)

Solubility Information

Solubility	H ₂ O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble),
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A DRUG SCREENING EXPERT

Solubility	DMSO: 247.5 mg/mL (718.45 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.81 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9028 mL	14.5142 mL	29.0284 mL
5 mM	0.5806 mL	2.9028 mL	5.8057 mL
10 mM	0.2903 mL	1.4514 mL	2.9028 mL
50 mM	0.0581 mL	0.2903 mL	0.5806 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Nikolic D, et al. Atherosclerosis. 2014, 237(2):705-710.
Filippov S, et al. J Lipid Res. 2013, 54(8):2095-2108.
Pinkosky SL, et al. J Lipid Res. 2013, 54(1):134-151.

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