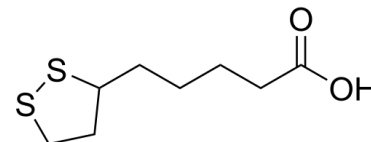


Data Sheet

Product Name:	α -Lipoic Acid
Cat. No.:	CS-4370
CAS No.:	1077-28-7
Molecular Formula:	C ₈ H ₁₄ O ₂ S ₂
Molecular Weight:	206.33
Target:	Apoptosis; Endogenous Metabolite; HIV; Mitochondrial Metabolism; NF- κ B
Pathway:	Anti-infection; Apoptosis; Metabolic Enzyme/Protease; NF- κ B
Solubility:	H ₂ O : 0.1 mg/mL (0.48 mM; Need ultrasonic); DMSO : $\geq$ 100 mg/mL (484.66 mM)



BIOLOGICAL ACTIVITY:

α -Lipoic Acid (Thioketone) is an antioxidant, which is an essential cofactor of **mitochondrial** enzyme complexes. α -Lipoic Acid inhibits **NF- κ B**-dependent **HIV-1** LTR activation^{[1][2][3]}. α -Lipoic Acid induces endoplasmic reticulum (ER) stress-mediated **apoptosis** in hepatoma cells^[4]. α -Lipoic Acid can be used with [CPUL1](#) (HY-151802) to construct the self-assembled nanoaggregate CPUL1-LA NA, which has improved antitumor efficacy than CPUL1^[5]. IC50 & Target: NF- κ B^[1] HIV^[1]

Mitochondrial^[2] *In Vitro*: The long terminal repeat (LTR) of HIV-1 is the target of cellular transcription factors such as NF- κ B, and serves as the promoter-enhancer for the viral genome when integrated in host DNA^[1]. α -Lipoic Acid (Alpha-Lipoic acid, ALA), a naturally occurring dithiol compound, plays an essential role in mitochondrial bioenergetics. α -Lipoic Acid reduces lipid accumulation in the liver by regulating the transcriptional factors SREBP-1, FoxO1, and Nrf2, and their downstream lipogenic targets via the activation of the SIRT1/LKB1/AMPK pathway. Treatment of cells with α -Lipoic Acid (250, 500 and 1000 μ M) significantly increases the NAD⁺/NADH ratio in HepG2 cells ($P < 0.05$ or $P < 0.01$). Treatment with α -Lipoic Acid (50, 125, 250 and 500 μ M) increases SIRT1 activity in HepG2 cells. α -Lipoic Acid (50, 125, 250, 500 and 1000 μ M) increases phosphorylation of AMPK and acetyl-CoA carboxylase (ACC) in HepG2 cells in a dose-dependent fashion^[1]. *In Vivo*: C57BL/6J mice, divided into four groups, are fed an high-fat diet (HFD) for 24 weeks to induce nonalcoholic fatty liver disease (NAFLD) followed by daily administration of α -Lipoic Acid. Then, the effects of α -Lipoic Acid on hepatic lipid accumulation in long-term HFD-fed mice are assessed. Administration of α -Lipoic Acid (100 mg/kg or 200 mg/kg) markedly reduces visceral fat mass in mice. In addition, α -Lipoic Acid (100 mg/kg or 200 mg/kg) treatment inhibits the appetite and causes a dramatic weight loss (all $P < 0.05$)^[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ^[1]The human hepatocellular carcinoma (**HepG2**) cell line is cultured in Dulbecco's modified Eagle's medium containing 10% fetal bovine serum at 37°C and 5% CO₂. HepG2 cells are treated with AMPK inhibitor (CC, 20 μ M, 0.5 h), SIRT1 inhibitor (NA, 10 mM, 12 or 24 h), and AMPK activator (AICAR, 2 mM, 1 h), Palmitate (PA, 125 μ M, 12 h) and **α -Lipoic Acid (250 μ M, 6 or 12 h)**^[1].

Animal Administration: ^[1]Mice^[1]

Male C57BL/6J mice (6-week-old; body weight: 22-24 g) are allowed *ad libitum* access to normal diet and water for 2 weeks before dividing into four groups (n=8): normal diet (ND) (10% energy from fat), high-fat diet (HFD) (60% energy from fat) and HFD plus **α -Lipoic Acid (100 mg/kg or 200 mg/kg)**. After 24 weeks of treatment, blood samples are collected after the eyeballs of the mice are extracted for serum preparation by centrifugation at 2000×g for 10 min at 4°C. The liver tissues are harvested in liquid nitrogen and stored at -80°C.

References:

- [1]. Xiao L, et al. Activity of the dietary antioxidant ergothioneine in a virus gene-based assay for inhibitors of HIV transcription. *Biofactors*. 2006;27(1-4):157-65.
- [2]. Yang Y, et al. Alpha-lipoic acid improves high-fat diet-induced hepatic steatosis by modulating the transcription factors SREBP-1, FoxO1 and Nrf2 via the SIRT1/LKB1/AMPK pathway. *J Nutr Biochem*. 2014 Nov;25(11):1207-1217.
- [3]. Lei D, et al. Synergistic neuroprotective effect of rasagiline and idebenone against retinal ischemia-reperfusion injury via the Lin28-Irf-7-Dicer pathway. *Oncotarget*. 2018 Jan 30;9(15):12137-12153.
- [4]. Pibiri M, et al. α -Lipoic acid induces Endoplasmic Reticulum stress-mediated apoptosis in hepatoma cells. *Sci Rep*. 2020 Apr 28;10(1):7139.
- [5]. Liu J, et al. Nanoaggregates of Disulfide-Decorated TrxR Inhibitor Promote Cellular Uptake, Selective Targeting, and Antitumor Efficacy. *Langmuir*, 2022.

CAIndexNames:

1,2-Dithiolane-3-pentanoic acid

SMILES:

O=C(O)CCCCC1SSCC1

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

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