

Product Data Sheet

Product Name: DLPC
Cat. No.: GC14047

Chemical Properties

Cas. No. 18194-25-7

Chemical Name (R)-2,3-bis(dodecanoyloxy)propyl (2-(trimethylammonio)ethyl) phosphate

SMILES O=C(CCCCCCCCCCCC)O[C@@H](CO[P@](OCC[N+](C)(C)C)([O-])=O)COC(CCCCCCCCCCCC)=O

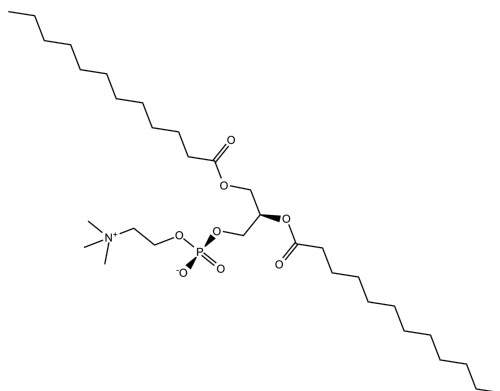
Formula $C_{32}H_{64}NO_8P$ M.Wt 621.83

Solubility 100 mg/mL in Ethanol 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

Primary peritoneal macrophages from C57BL/6 mice

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

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Preparation Method	Dissolve DLPC in ethanol to prepare a stock solution and dilute it to 100μM with cell culture medium containing 10% charcoal-treated fetal bovine serum. Treat C3A/HepG2 cells with DLPC for 24h and use an equal volume of ethanol as the vehicle control.
Reaction Conditions	50μM; 4 or 24h
Applications	DLPC increased interleukin 10, transforming growth factor beta 1, interleukin 1 receptor antagonist, mannose receptor, Dectin-1, and CD36 expression and promoted LRH-1-dependent alternative macrophage polarization.
Animal experiment [2]:	
Animal models	U87-MG glioblastoma xenografts in non-obese diabetic/severe combined immunodeficiency mice
Preparation Method	Prepare the DLPC dosing formulation using ethanol and a vehicle composed of polyethylene glycol 400 (PEG-400) and Tween-80 at a ratio of 4:1. Administer DLPC to 12-week-old male db/db mice by oral gavage at 100mg/kg/day once daily for 3 weeks; administer an equal volume of vehicle to the control group.
Dosage form	100mg/kg; 13 days; i.g.
Applications	DLPC reduced xenograft volume and Ki67, phosphorylated histone H3, Nestin, and Vimentin levels without increasing cleaved caspase 3.

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References:[1] Lefevre L, Authier H, Stein S, Majorel C, Couderc B, Dardenne C, et al. LRH-1 mediates anti-inflammatory and antifungal phenotype of IL-13-activated macrophages through the PPARgamma ligand synthesis. Nat Commun. 2015;6:6801. doi:10.1038/ncomms7801.[2] Gkikas D, Stellas D, Polissidis A, Manolakou T, Kokotou MG, Kokotos G, et al. Nuclear receptor NR5A2 negatively regulates cell proliferation and tumor growth in nervous system malignancies. Proc Natl Acad Sci U S A. 2021;118(39):e2015243118. doi:10.1073/pnas.2015243118.

Background

DLPC is a medium-chain phosphatidylcholine and an agonist ligand of liver receptor homolog-1 (LRH-1)^[1]. DLPC occupies the LRH-1 ligand-binding pocket and activates LRH-1-dependent transcriptional programs governing bile-acid synthesis, glucose and lipid metabolism, endoplasmic-reticulum stress resolution, macrophage polarization, and cellular differentiation^[1,2,3,6]. DLPC is used in research on nuclear-receptor signaling, metabolic homeostasis, hepatic stress, inflammation, diabetes-associated kidney injury, and nervous-system tumor biology.

In vitro, DLPC (100μM; 24h) activated LRH-1 and increased cytochrome P450 family 8 subfamily B member 1 (CYP8B1) expression in C3A/HepG2 hepatoma cells^[1]. DLPC (50μM; 4 or 24h) increased interleukin 10, transforming growth factor beta 1, interleukin 1 receptor antagonist, mannose receptor, Dectin-1, and CD36 expression in mouse peritoneal macrophages and promoted the LRH-1/cytochrome P450/15-hydroxyeicosatetraenoic acid/peroxisome proliferator-activated receptor gamma axis associated with alternative macrophage polarization^[3]. DLPC (100μM; 24h) increased perilipin 5 (PLIN5) messenger ribonucleic acid and protein in HepG2 cells without increasing LRH-1 protein and enhanced an LRH-1-regulated program linked to hepatic triglyceride metabolism^[4].

In vivo, DLPC (100mg/kg/day; oral gavage once daily for 3 weeks) reduced serum glucose and hepatic triglycerides, improved glucose tolerance and insulin sensitivity, and decreased hepatic steatosis in db/db mice^[1]. DLPC (100mg/kg; oral gavage every 12h for four doses, twice before and twice after a single 1mg/kg tunicamycin intraperitoneal injection) increased polo-like kinase 3 and activating transcription factor 2 signaling, reduced hepatic lipid accumulation and apoptosis, and accelerated endoplasmic-reticulum stress resolution in mice^[2]. DLPC (100mg/kg; oral gavage once daily for 13 days) reduced U87-MG xenograft growth, Ki67-positive proliferating cells, phosphorylated histone H3-positive mitotic cells, Nestin, and Vimentin in non-obese diabetic/severe combined

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immunodeficiency mice without increasing cleaved caspase 3^[5]. DLPC (100mg/kg; oral gavage once daily for 3 weeks) increased glomerular LRH-1 and glutaminase 2 (GLS2), enhanced glutamine-to-glutamate conversion, reduced urinary albumin-to-creatinine ratio, podocyte foot-process fusion, glomerular lesions, and apoptosis, and restored podocyte number in db/db mice^[6].

References:

- [1] Lee JM, Lee YK, Mamrosh JL, Busby SA, Griffin PR, Pathak MC, et al. A nuclear-receptor-dependent phosphatidylcholine pathway with antidiabetic effects. *Nature*. 2011;474(7352):506-510. doi:10.1038/nature10111.
- [2] Mamrosh JL, Lee JM, Wagner M, Stambrook PJ, Whitby RJ, Sifers RN, et al. Nuclear receptor LRH-1/NR5A2 is required and targetable for liver endoplasmic reticulum stress resolution. *eLife*. 2014;3:e01694. doi:10.7554/eLife.01694.
- [3] Lefevre L, Authier H, Stein S, Majorel C, Couderc B, Dardenne C, et al. LRH-1 mediates anti-inflammatory and antifungal phenotype of IL-13-activated macrophages through the PPARgamma ligand synthesis. *Nat Commun*. 2015;6:6801. doi:10.1038/ncomms7801.
- [4] Pantha R, Lee JH, Bae JH, Koh EH, Shin M, Song DK, et al. Perilipin 5 is a novel target of nuclear receptor LRH-1 to regulate hepatic triglycerides metabolism. *BMB Rep*. 2021;54(9):476-481. doi:10.5483/BMBRep.2021.54.9.051.
- [5] Gkikas D, Stellas D, Polissidis A, Manolakou T, Kokotou MG, Kokotos G, et al. Nuclear receptor NR5A2 negatively regulates cell proliferation and tumor growth in nervous system malignancies. *Proc Natl Acad Sci U S A*. 2021;118(39):e2015243118. doi:10.1073/pnas.2015243118.
- [6] Hu J, Zhang Z, Hu H, Yang K, Zhu Z, Yang Q, et al. LRH-1 activation alleviates diabetes-induced podocyte injury by promoting GLS2-mediated glutaminolysis. *Cell Prolif*. 2023;56(11):e13479. doi:10.1111/cpr.13479.

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