

## Product Data Sheet

Product Name: DMG-PEG 2000

Cat. No.: GC39784

### Chemical Properties

Cas. No. 160743-62-4

SMILES CCCCCCCCCCCCC(OC(COCCOC)COC(CCCCCCCCCCCCCC)=O)=O.[n]

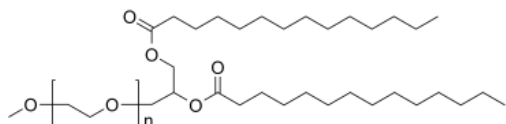
Formula  $(C_2H_4O)_n C_{32}H_{62}O_5$  M.Wt 2526.00

Solubility DMSO: 100 mg/mL Storage Store at 2-8°C, protect from light

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 Human hepatocellular carcinoma cell line Hep3B

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TT2-TT8 were formulated with the helper lipid (1,2-distearoyl-sn-glycero-3-phosphocholine (DSPC), 2-dioleoyl-sn-glycero-3-phosphoethanolamine (DOPE) or 1-palmitoyl-2-oleoyl-sn-glycero-3-phosphoethanolamine (POPE)),  
Preparation Method cholesterol, 1,2-dimyristoyl-sn-glycerol, methoxypolyethylene glycol (DMG-PEG2000) (molar ratio 50/10/38.5/1.5 or based on the orthogonal design table), and FLuc mRNA via pipetting for in vitro studies or via a microfluidic based mixing device for in vivo studies.

Reaction  
Conditions  
Hep3B cells were seeded ( $2 \times 10^4$  cells per well) into each well of white 96-well plates in 150  $\mu$ L of culture medium, allowed to attach overnight in growth medium, and transfected by addition of 20  $\mu$ L of FLuc mRNA-loaded TT LLNs to growth medium. Transfections were performed in triplicate. After 6 h of transfection, culture medium containing TT LLNs was carefully removed, and 50  $\mu$ L of serum-free EMEM and 50  $\mu$ L of Bright-Glo luciferase substrate were mixed and added to each well.

Applications  
TT2-TT8 LLNs showed minimal to moderate inhibitory effects on Hep3B cells, and showed a significant positive correlation between transfection efficiency and entrapment efficiency.

### Animal experiment [1]:

Animal models      C57BL/6 mice

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C57BL/6 mice were administered intravenously via tail vein injection with free hFIX mRNA, O-TT3 FLuc (an irrelevant mRNA-loaded LLNs as a control), or O-TT3 hFIX at the indicated dosage. Six hours post administration, blood samples Preparation Method were collected and mixed with an anticoagulant solution (3.2% sodium citrate anticoagulant containing 0.17 mg/mL of corn trypsin inhibitor) in a ratio of 9:1, which was then centrifuged for 15 min at 2500 g. hFIX protein level was measured by enzyme-linked immunosorbent (ELISA) assay.

Dosage form      0.55 mg/kg or 1.1 mg/kg

Applications      Wild-type mice produced 1020 ng/mL hFIX at a dose of 0.55 mg/kg and 2057 ng/mL at a dose of 1.1 mg/kg, respectively. No hFIX was detected in the plasma of mice injected with untreated, free hFIX mRNA-, or TT3 LLNs-treated groups

### References:

[1]: Li B, Luo X, Deng B, et al. An orthogonal array optimization of lipid-like nanoparticles for mRNA delivery in vivo[J]. Nano letters, 2015, 15(12): 8099-8107.

### Background

DMG-PEG 2000 is a component for the liposome for siRNA delivery, and improved transfection efficiency in vitro [1].

DMG-PEG 2000 is the constituent of the nanoliposomes. The nanoparticles are 60 - 100 nm in size and designed for delivery to hepatocytes. The nanoparticles are formed from a mixture of siRNA and four lipid excipients: 1,2-distearoyl-sn-glycero-3-phosphocholine (DSPC), cholesterol, (6Z,9Z,28Z,31Z)-heptatriaconta-6,9,28,31-tetren-19-yl-4-(dimethylamino) butanoate (DLin-MC3-DMA) and (R)-2,3-bis(tetradecyloxy)propyl 1-(methoxypoly(ethylene glycol)20000)propyl carbamate (DMG-PEG 2000). Both DSPC and cholesterol are well known pharmaceutical ingredients whilst DLin-MC3-DMA and DMG-PEG2000 are novel excipients. Each 1 mL of patisirin contains 3.3 mg DSPC, 6.2 mg cholesterol, 13.0 mg DLin-MC3-DMA and 1.6 mg of DMG-PEG2000 and these lipids

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associate with the siRNA to form lipid nanoparticles which protects the siRNA from immediate degradation in the circulation and improves delivery to the target site in the liver [2].

### References:

- [1]. Tianqi Nie, et al. Surface Coating Approach to Overcome Mucosal Entrapment of DNA Nanoparticles for Oral Gene Delivery of Glucagon-like Peptide 1. ACS Appl Mater Interfaces. 2019 Aug 21;11(33):29593-29603.
- [2]. Webb C, Forbes N, Roces C B, et al. Using microfluidics for scalable manufacturing of nanomedicines from bench to GMP: A case study using protein-loaded liposomes[J]. International Journal of Pharmaceutics, 2020, 582: 119266.

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