

Product Data Sheet

Product Name: Glu-urea-Lys

Cat. No.: GC26528

Chemical Properties

Cas. No. 1025796-69-3

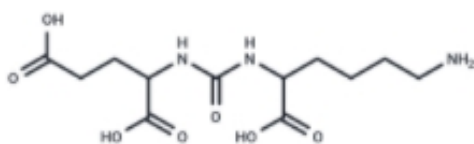
Formula $C_{12}H_{21}N_3O$ M.Wt 319.31

Solubility DMSO: 50 mg/mL (156.59 mM) Storage -20°C , away from moisture

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

This protocol is for reference only. The actual application conditions may need to be optimized according to your specific experimental needs.

Preparation of Glu-urea-Lys ligand-coupled lipid nanoparticle/siRNA system [1-2]:

1. Reagent preparation: DSPE-PEG2000-NH₂, N-hydroxysuccinimide (NHS), 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide (EDC), borate buffer (0.1M, pH 8.5), dialysis bag (MWCO 3.5kDa), siRNA, citric acid buffer (10mM, pH 4.0),

2. Preparation of Glu-urea-Lys-DSPE-PEG2000 conjugate

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

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2.1 Carboxyl activation: Dissolve Glu-urea-Lys (1eq), NHS (2eq), and EDC (2eq) in DMF and stir at room temperature for 4h.

2.2 DSPE-PEG2000 coupling: The activated product was added dropwise to DSPE-PEG2000-NH₂ (1.2eq) in borate buffer, pH 8.5, and reacted at room temperature in the dark for 24h.

2.3 Purification: The reaction mixture was placed in a dialysis bag, sealed and immersed in PBS buffer (4°C, in the dark, 48h) to remove small molecule impurities, and the conjugate was obtained after freeze-drying.

2.4 Verification: MALDI-TOF MS was used to verify the molecular weight of the compound (expected molecular weight: DSPE-PEG2000 + Glu-urea-Lys $\approx$ 2800Da + 348Da).

3. Preparation of lipid nanoparticles (LNP) and siRNA loading

3.1 Preparation of lipid solution: Each lipid was dissolved in ethanol (total lipid concentration 10mg/mL) according to the ratio of DLin-MC3-DMA (50%), cholesterol (38.5%), DSPC (10%), and Glu-urea-Lys-DSPE-PEG (1.5%), and ultrasonicated in a 60°C water bath until completely dissolved.

3.2 Preparation of aqueous phase: siRNA was dissolved in citric acid buffer (0.2mg/mL).

3.3 Microfluidic mixing: The lipid phase and the aqueous phase were mixed at a flow rate ratio of 3:1 (total flow rate 12mL/min), and the outlet was collected in PBS (pH 7.4).

3.4 Dialysis purification: The reaction mixture was placed in a dialysis bag, sealed and immersed in PBS buffer (4°C, protected from light, 48h), and the buffer was changed 3 times during the period.

4. Quality control

4.1 Particle size: DLS detection (80-100nm, PDI < 0.2).

4.2 Encapsulation efficiency: RiboGreen method (> 95%).

4.3 siRNA integrity: agarose gel electrophoresis (no free siRNA bands).

Notes

1. Aseptic operation: In vivo experiments require filtration sterilization (0.22 μ m filter membrane).

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2. siRNA stability: LNPs must be stored at -80°C after preparation to avoid repeated freezing and thawing.

3. In vivo distribution: Bioluminescence imaging (such as DiR-labeled LNPs) can be supplemented to observe targeting.

References:

- [1]. Lee J B, Zhang K, Tam Y Y C, et al. A Glu-urea-Lys ligand-conjugated lipid nanoparticle/siRNA system inhibits androgen receptor expression in vivo[J]. Molecular Therapy Nucleic Acids, 2016, 5.
- [2]. Zhou W, Huang J, Xiao Q, et al. Glu-Urea-Lys Scaffold Functionalized Superparamagnetic Iron Oxide Nanoparticles Targeting PSMA for In Vivo Molecular MRI of Prostate Cancer[J]. Pharmaceutics, 2022, 14(10): 2051.

Background

Glu-urea-Lys is a small molecule ligand that targets prostate-specific membrane antigen (PSMA). Glu-urea-Lys is composed of glutamic acid (Glu), urea bond (urea), and lysine (Lys), and can specifically bind to prostate cancer cells that highly express PSMA. Glu-urea-Lys can enhance its accumulation at the tumor site after being combined with liposomes, and is often used in the diagnosis or treatment of prostate cancer [1-4].

References:

- [1]. Wüstemann T, Bauder-Wüst U, Schäfer M, et al. Design of internalizing PSMA-specific Glu-ureido-based radiotherapeutics[J]. Theranostics, 2016, 6(8): 1085.
- [2]. Baranski A C, Schäfer M, Bauder-Wüst U, et al. PSMA-11–derived dual-labeled PSMA inhibitors for preoperative PET imaging and precise fluorescence-guided surgery of prostate cancer[J]. Journal of Nuclear Medicine, 2018, 59(4): 639-645.
- [3]. Lee J B, Zhang K, Tam Y Y C, et al. A Glu-urea-Lys ligand-conjugated lipid nanoparticle/siRNA system inhibits androgen receptor expression in vivo[J]. Molecular Therapy Nucleic Acids, 2016, 5.
- [4]. Zhou W, Huang J, Xiao Q, et al. Glu-Urea-Lys Scaffold Functionalized Superparamagnetic Iron Oxide Nanoparticles Targeting PSMA for In Vivo Molecular MRI of Prostate Cancer[J]. Pharmaceutics, 2022, 14(10): 2051.

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