

Product Data Sheet

Product Name: m-PEG7-CH₂CH₂COOH

Cat. No.: GC65151

Chemical Properties

Cas. No. 1093647-41-6

Formula C₁₈H₃₆O₁₀

M.Wt 412.47

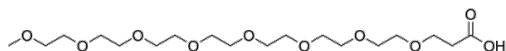
Solubility

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

This plan is based on literature, please modify it to meet your specific needs.

Synthesis of Compound (A-11)^[1]

1. Intermediates 11-1A (Mc-Val-Ala-OH; 2.4g; 6.29mmol) and 11-1B (3.18g; 6.29mmol) were dissolved in dichloromethane and methanol (v:v=2:1; 90mL) in a mixed solvent. Para-EEDQ (1.86g; 7.55mmol) was added at room temperature. The reaction solution was stirred at room temperature for 24 hours, the reaction solution was evaporated to dryness under reduced pressure, and 11-1 (3.9g;

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yield 71%) was obtained by column chromatography.

2. Intermediate 11-1 (2g; 2.3mmol) was dissolved in 50mL of anhydrous tetrahydrofuran, cooled in an ice bath under argon atmosphere, pyridine hydrofluoric acid complex (4.6g; 46mmol) was added, and the reaction solution was stirred at 0 degrees for 2 After 1 hour, water was added to quench the reaction, extracted with dichloromethane, the organic layer was separated, dried over anhydrous sodium sulfate, and intermediate 11-2 (1.1g; yield 76%) was obtained by column chromatography.

3. Intermediate 11-2 (700mg; 1.11mmol) was dissolved in 4mL of anhydrous DMF, and DIPEA (0.39mL; 2.23mmol) and bis(4-nitrophenyl) carbonate (406mg; 1.33mmol) were added at room temperature, respectively.). Stir overnight at room temperature under argon, evaporate the solvent under reduced pressure, then add methyl tert-butyl ether and stir until the solid is precipitated, filter, rinse the solid with ether several times, and dry the solid to obtain intermediate 11-3, which is directly used in the following one-step reaction.

4. Intermediate 11-3 (300mg; 0.44mmol) was dissolved in 400μL of anhydrous DMF, 100μL of anhydrous pyridine was added, and then ixatecan mesylate (234mg; 0.44mmol) and 100μL of anhydrous pyridine were added. HOBt (60mg; 0.44mmol). The mixture was stirred overnight at room temperature under argon, and the reaction solution was prepared and purified by reverse-phase HPLC to obtain Intermediate 11-4 (230mg; yield 48%).

5. Intermediate 11-4 (200mg; 0.183mmol) was dissolved in 1mL of anhydrous dichloromethane, 300μL of trifluoroacetic acid was added under ice bath, returned to room temperature and stirred for 30 minutes, and the solvent was removed under reduced pressure to obtain intermediate 11-5 without further purification.

6. Compound 11-5 (120mg; 0.109mmol) was dissolved in 1mL of anhydrous DMF, and m-PEG7-CH₂CH₂COOH (44.96mg; 0.109mmol) and HATU (50mg; 0.130mmol) were added respectively. After mixing with DIPEA (38μL; 0.22mmol), the mixture was stirred at room temperature overnight, and the solvent was removed under reduced pressure to obtain the final product, which was then prepared and purified by reverse-phase HPLC to obtain compound (A-11) (74mg; yield 38%).

References:

[1] <https://patents.google.com/patent/WO2016203432A1>

Background

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m-PEG7-CH₂CH₂COOH is a monomethoxy-terminated PEG carboxylic acid linker. m-PEG7-CH₂CH₂COOH is a monomethoxylated m-PEG7 consisting of seven ethoxy repeating units, providing hydrophilicity and a flexible spacer arm; the other end is a -CH₂CH₂COOH carboxylic acid group, which, after activation into an NHS ester, can undergo amide coupling reactions with amino-containing molecules^[1]. m-PEG7-CH₂CH₂COOH, as a synthetic intermediate, can be used in the synthesis of antibody-drug conjugates (ADCs)^[2]. m-PEG7-CH₂CH₂COOH is also a PEG-based PROTAC linker that can be used in the synthesis of PROTACs.

[1] Smith AAA, Maikawa CL, Roth GA, Appel EA. Site-selective modification of proteins using cucurbit[7]uril as supramolecular protection for N-terminal aromatic amino acids. Org Biomol Chem. Published online May 27, 2020.

[2] <https://patents.google.com/patent/WO2016203432A1>

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