Supporting Information

Highly water-soluble perylenediimide-cored poly(amido amine) vector for gene transfection

Zejun Xu,[a] Bicheng He,[b] Wei Wei,[b] Kelan Liu,[a] Meizhen Yin,*[a]

Wantai Yang[a] and Jie Shen,*[b]

[a] State Key Laboratory of Chemical Resource Engineering, Key Laboratory of Carbon Fiber and Functional Polymers, Beijing University of Chemical Technology, Ministry of Education,100029 Beijing, China, E-mail: yinmz@mail.buct.edu.cn

[b] Department of Entomology, China Agricultural University, 100193 Beijing, China, Email: shenjie@cau.edu.cn

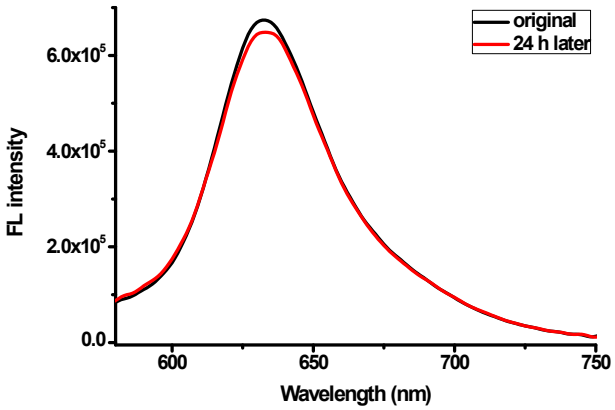


Fig. S1 Fluorescence spectra of PDI-PAmAm in water (2 μM) before and after exposure under natural light for 24 h (Excitation at 554 nm).

Table S1. Particle size of the complexes between PDI-PAmAm and DNA at various N : P ratios.

	Average size(nm)
N/P=2/1	216.5±2.1
N/P=4/1	112.6±2.8
N/P=8/1	107.8±3.2

Table S2. The zeta-potential of PDI-PAmAm before and after it form a complex with DNA at various N/P ratios.

	Zeta potential (mV)
PDI-PAmAm	25.3
DNA	-24.2
N/P=2/1	13.8
N/P=4/1	15.6
N/P=8/1	20.6

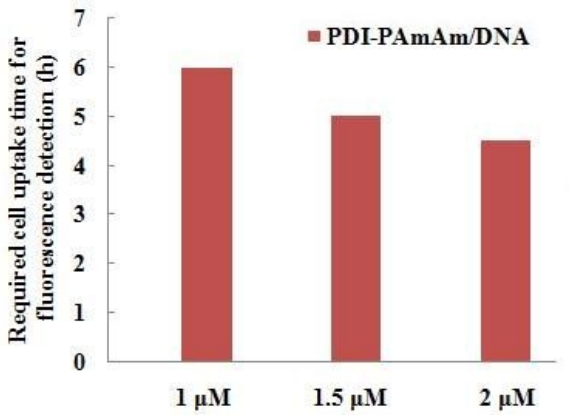


Fig. S2 Required incubation time (h) of PDI-PAmAm/DNA (at N/P ratio of 2:1) complexes for fluorescence detection.

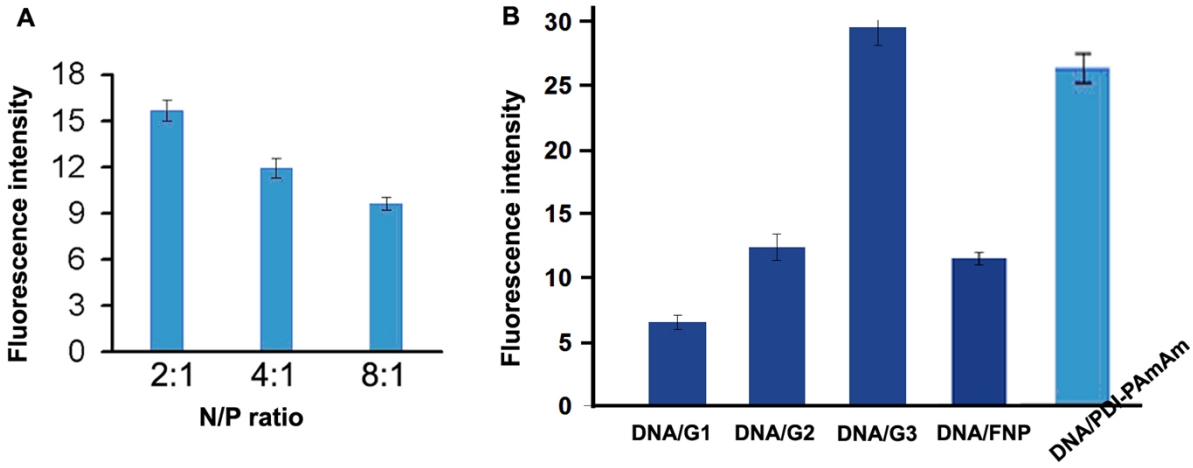


Fig. S3 Comparative gene delivery capacities of PDI-PAmAm. (A) The gene delivery efficacies of PDI-PAmAm at different N/P ratios. Fluorescence intensity of the internalized CRD-labelled DNA inside the cells after 8 hours incubation is quantified by Image-J program. (B) Quantified fluorescence intensity of different DNA carriers delivered CRD-labelled DNA inside the cells after 24 hours incubation. N/P ratio is fixed at 8:1.

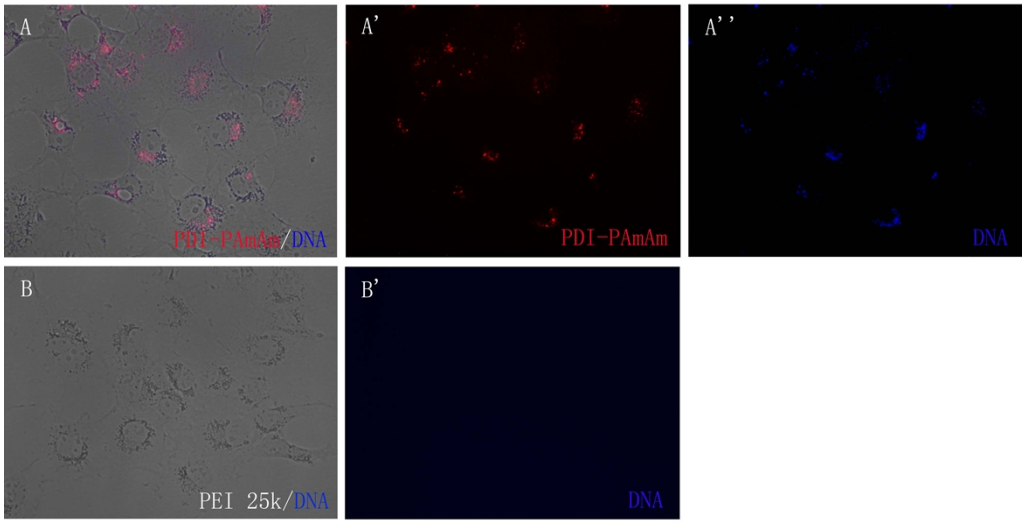


Fig. S4 Gene delivery assay of PDI-PAmAm in COS-7 cells. (A) Fluorescence images of PDI-PAmAm/DNA complexes internalized into live cells after 6 h incubation (0.2 μM PDI-PAmAm, 100 μM DNA, N/P = 30:1). Separated channels are shown in (A') (red, PDI-PAmAm) and (A'') (blue, DNA). DNA was fluorescently labelled by CXR Reference Dye (blue). (B-B') Control experiment: PEI 25k/DNA complexes incubated with cells for 6 h (0.2 μM PEI 25k, 100 μM DNA, N/P = 30:1). DNA labeled by CXR Reference Dye cannot be observed inside the live cells after 6 h incubation.

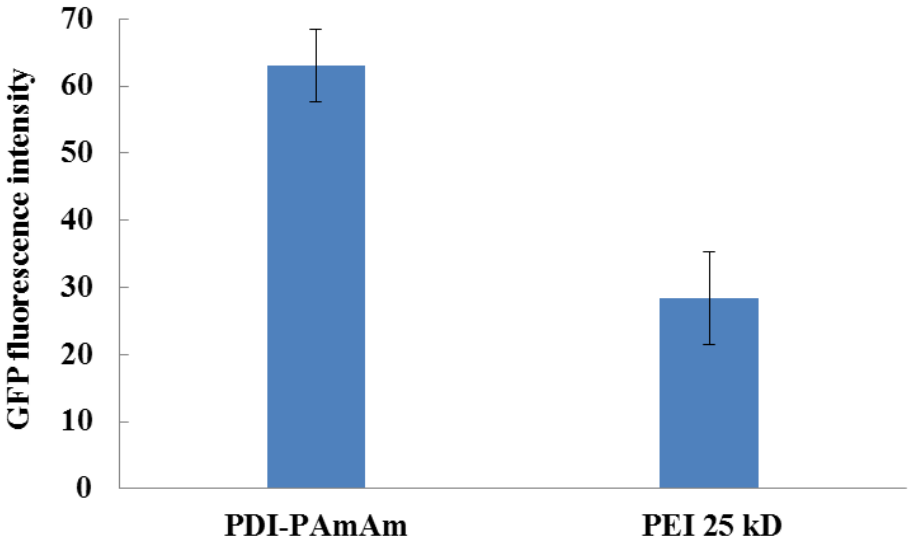


Fig. S5 Gene transfection capacities of PDI-PAmAm and PEI 25 kD at N/P ratio of 20.

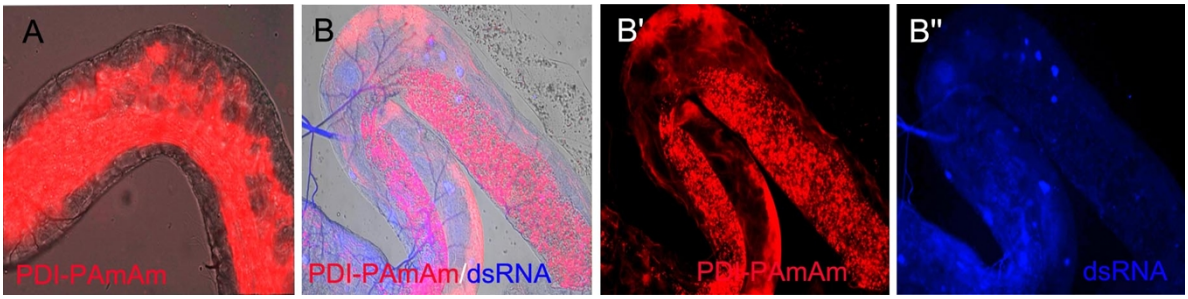
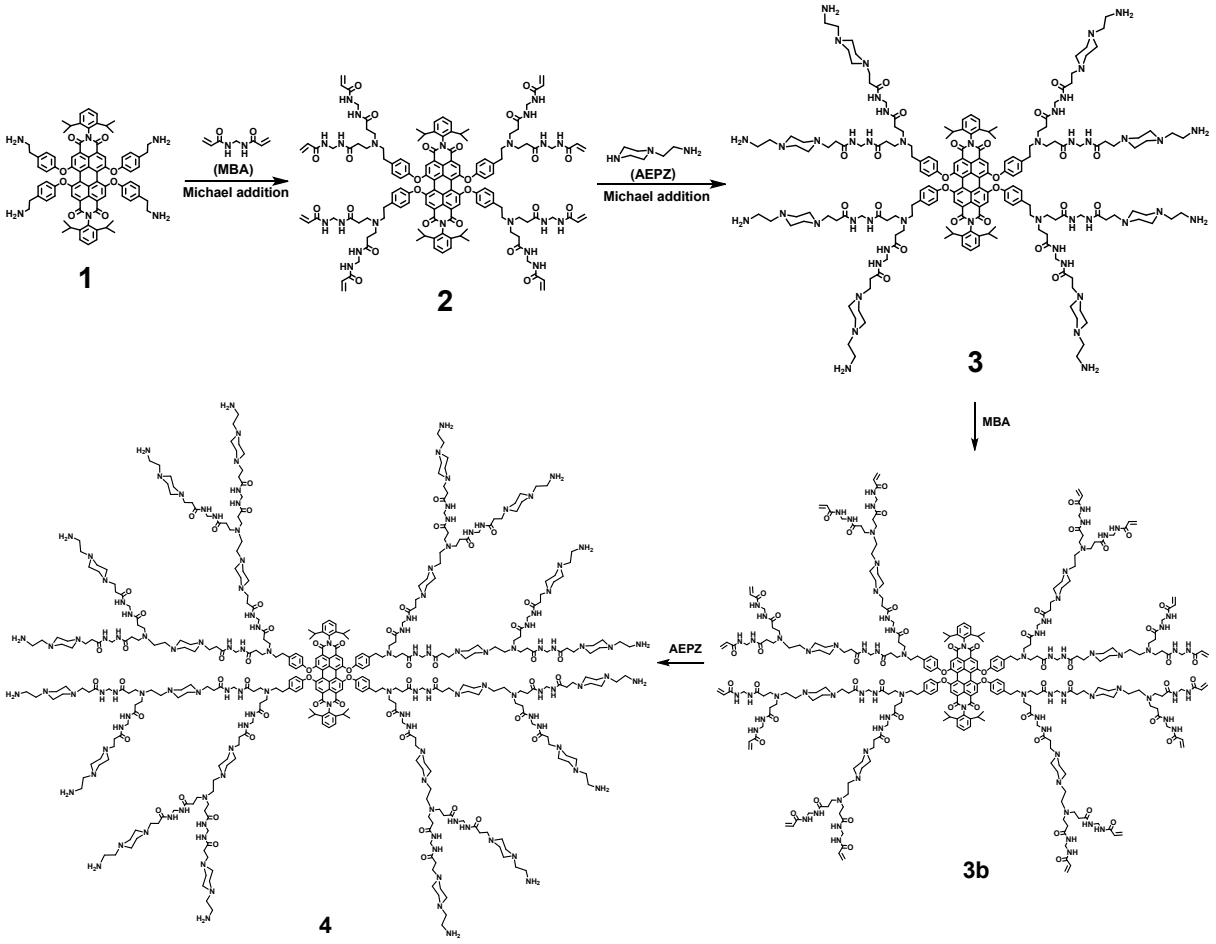
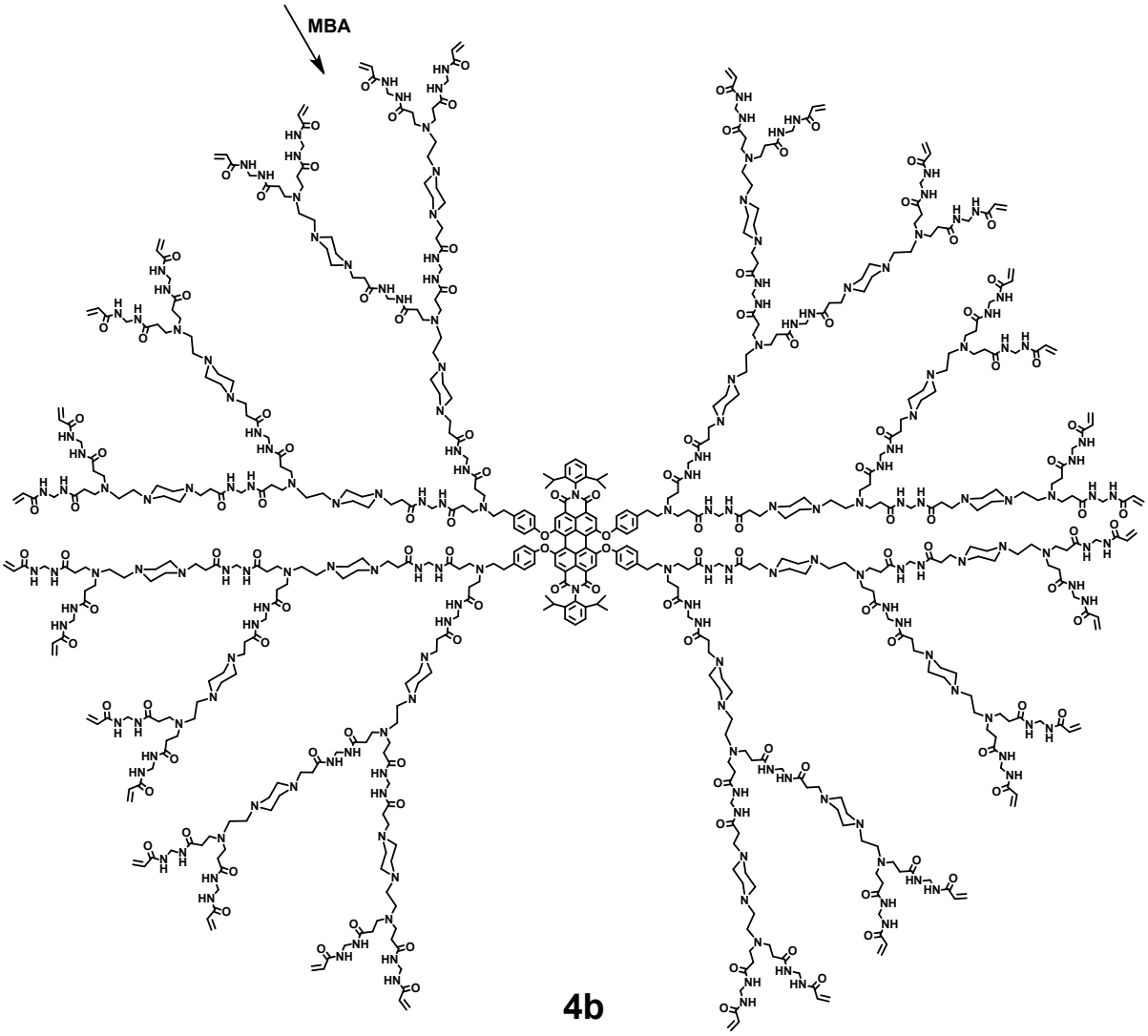


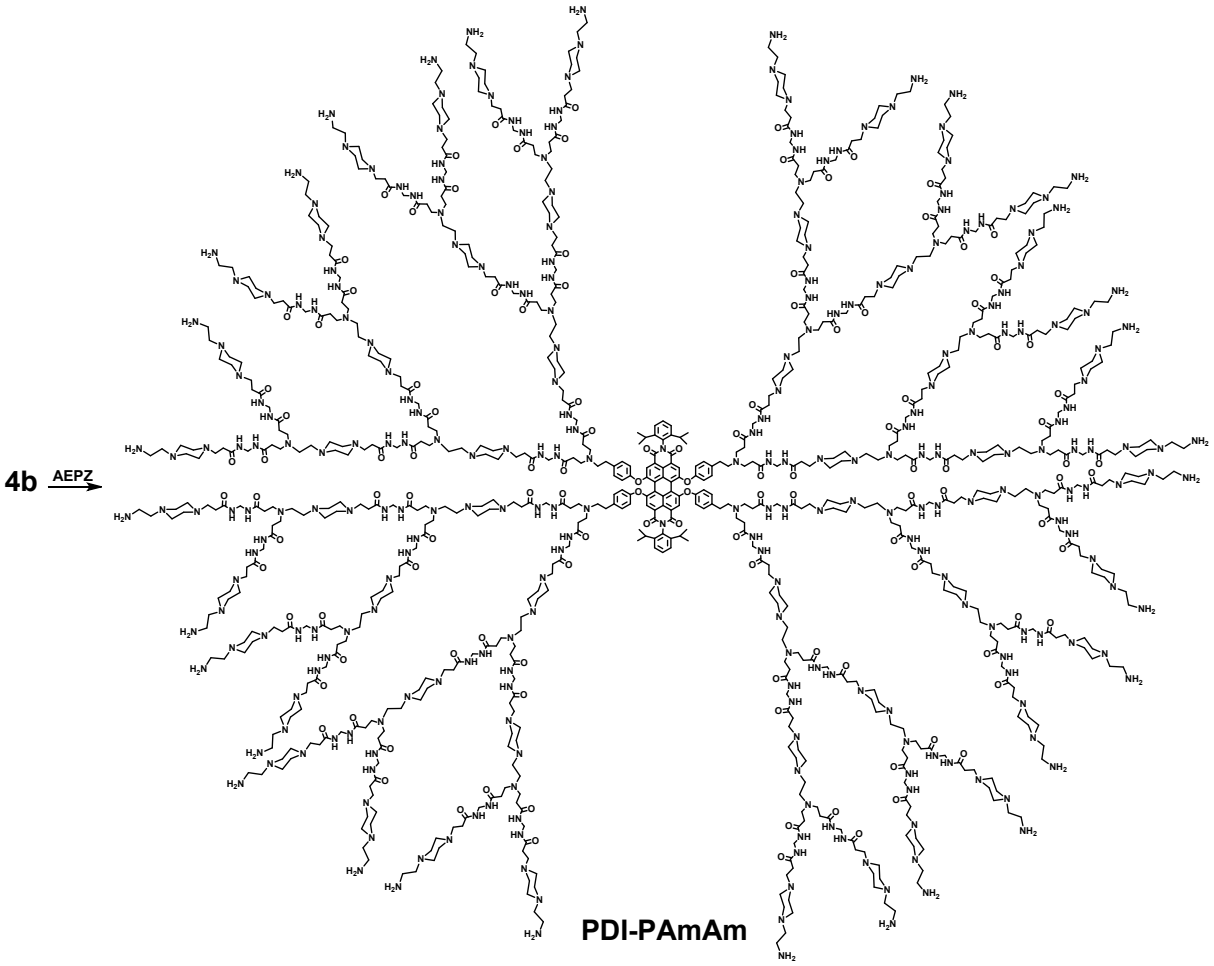
Fig. S6 Fluorescence images of dissected gut of *Drosophila* larvae. (A) PDI-PAmAm (red) efficiently enters into the gut tissue of *Drosophila* larvae after 3 days oral feeding with artificial diet containing PDI-PAmAm. (B) PDI-PAmAm/dsRNA (at N/P ratio of 2:1) can enter into cultured live gut tissue after 8 hours incubation. Separated channels for PDI-PAmAm (red, B') and CXR Reference Dye labelled dsRNA (blue, B'') are shown respectively.

Synthesis and characterizations





4b



Scheme S1 Synthesis of PDI-PAmAm.

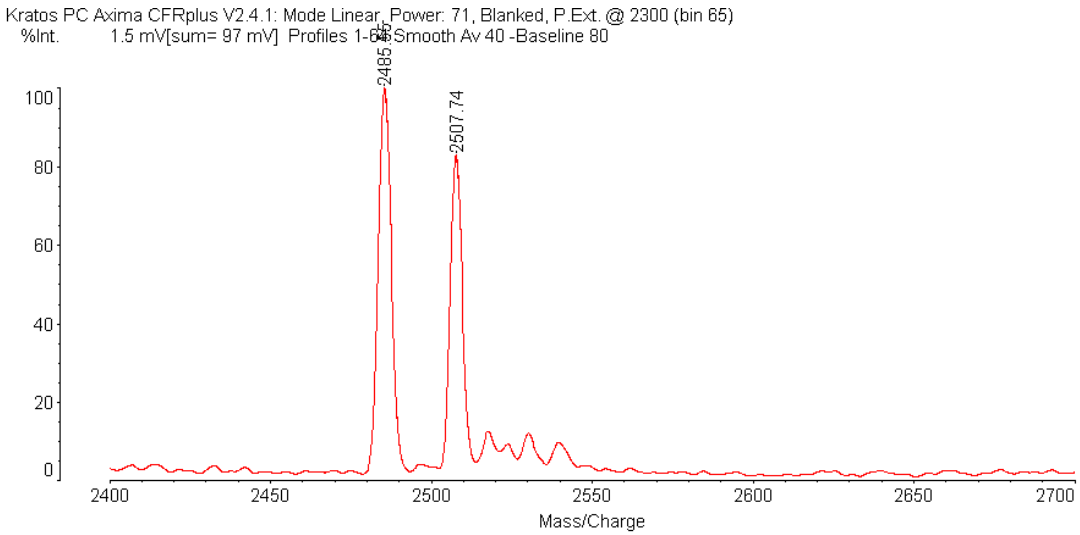


Fig. S7 MALDI-TOF MS spectrum of the intermediate product **2**.

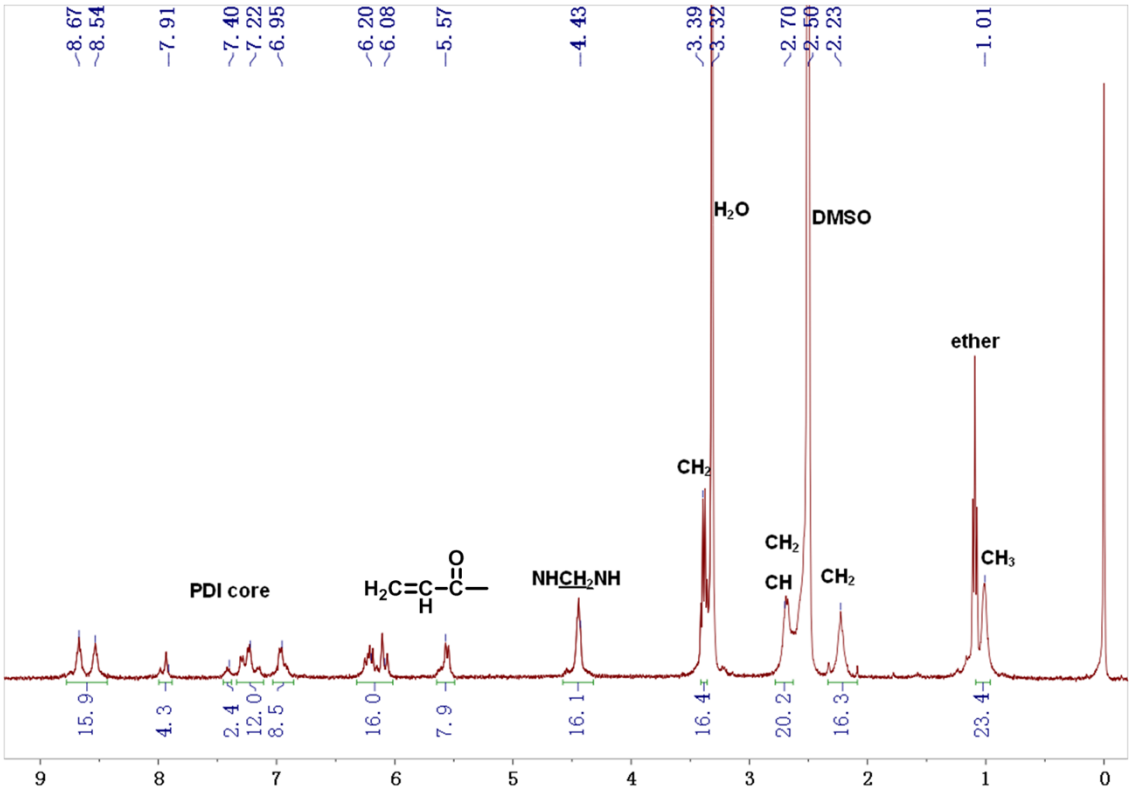


Fig. S8 ^{1}H NMR spectrum of the intermediate product **2** in DMSO.

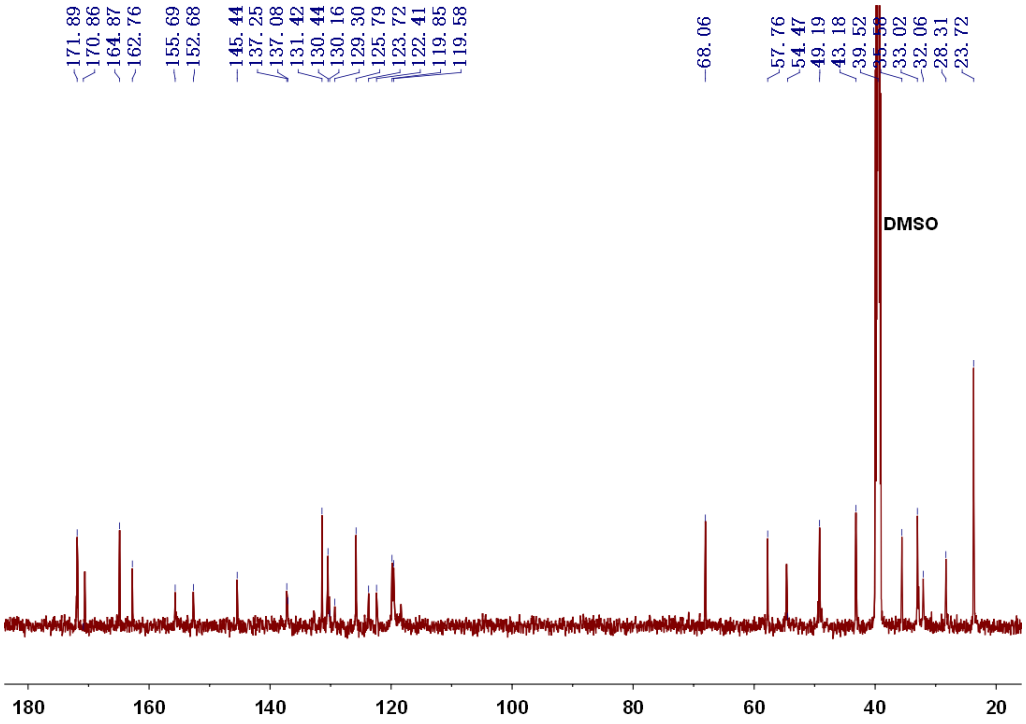


Fig. S9 ^{13}C NMR spectrum of the intermediate product **2** in DMSO.

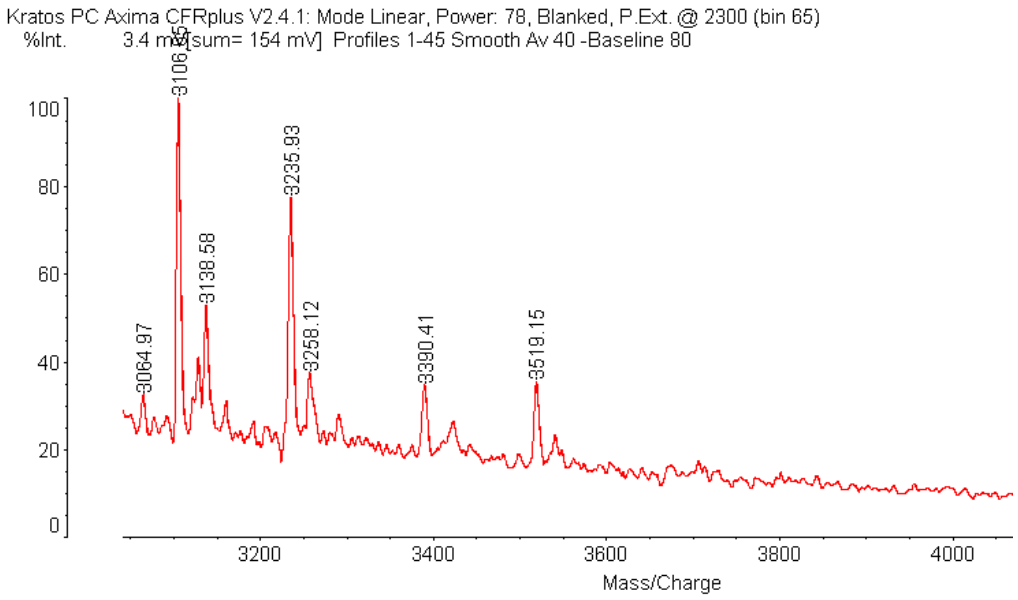


Fig. S10 MALDI-TOF MS spectrum of the intermediate product **3**. (Note: MS (MALDI-TOF, m/z) Calc. for $C_{184}H_{278}N_{46}O_{24}$: 3518.47, found: 3519.15($M+H^+$), 3390.41 (M-AEPZ), 3258.12 (M-2AEPZ))

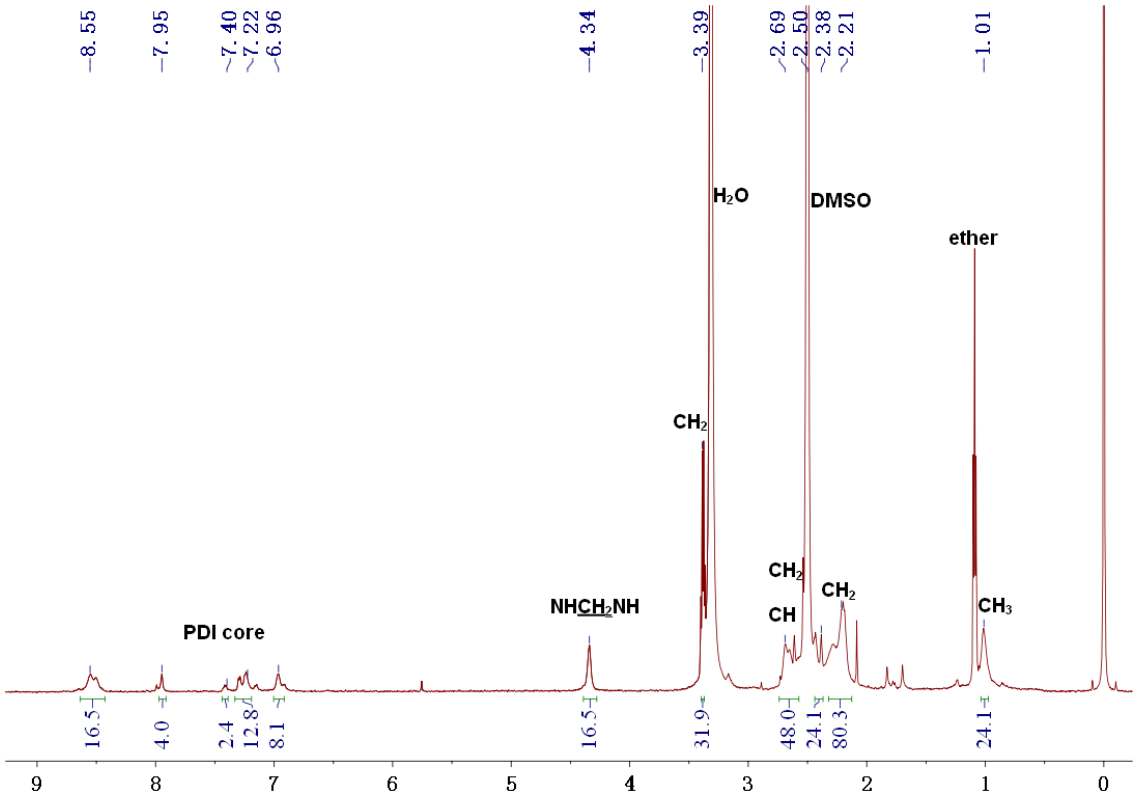


Fig. S11 ^{1}H NMR spectrum of the intermediate product **3** in DMSO.

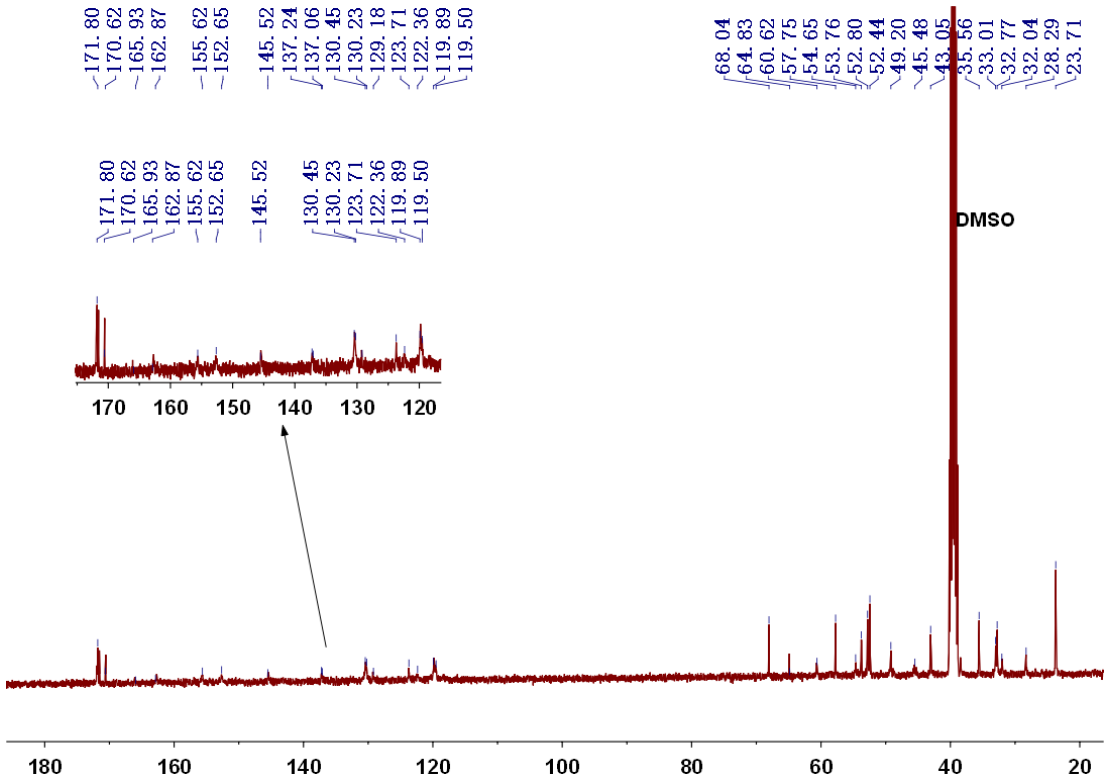


Fig. S12 ^{13}C NMR spectrum of the intermediate product **3** in DMSO.

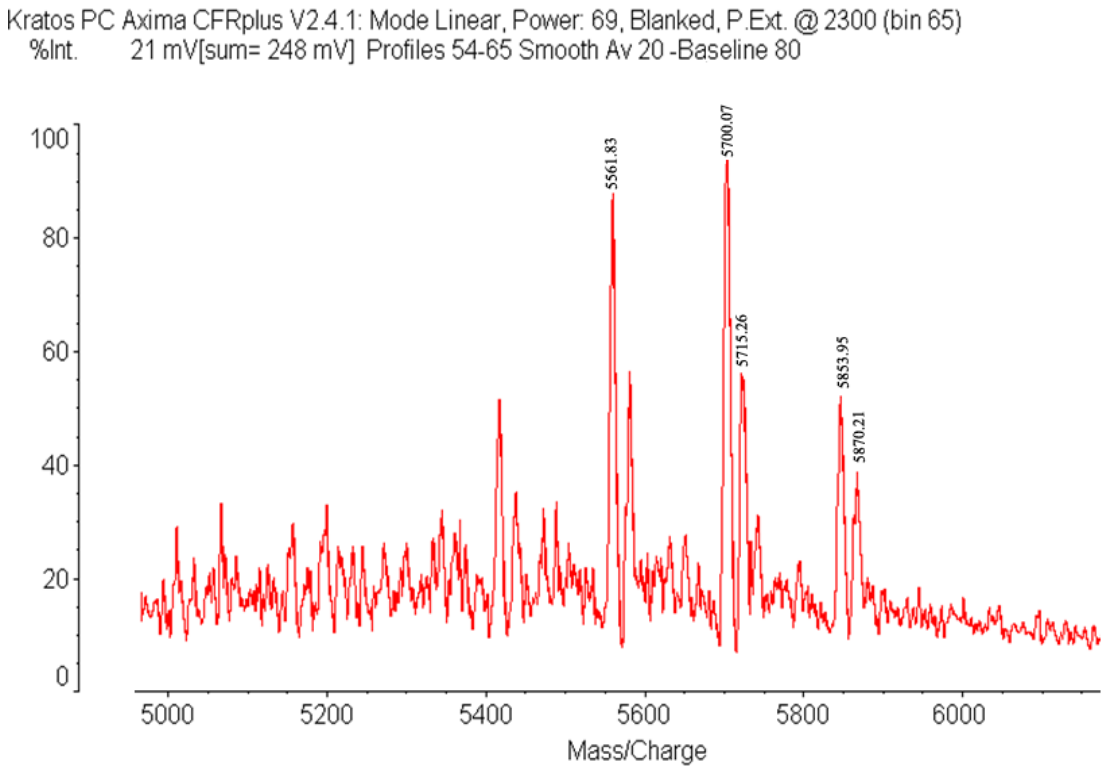


Fig. S13 MALDI-TOF MS spectrum of the intermediate product **3b**. (Note: MS (MALDI-TOF, m/z) Calc. for $C_{296}H_{438}N_{78}O_{56}$: 5985.13, found: 5870.21 (M-MBA+K$^+$), 5853.95 (M-MBA+Na$^+$), 5715.26 (M-2MBA+K$^+$), 5700.07 (M-2MBA+Na$^+$))

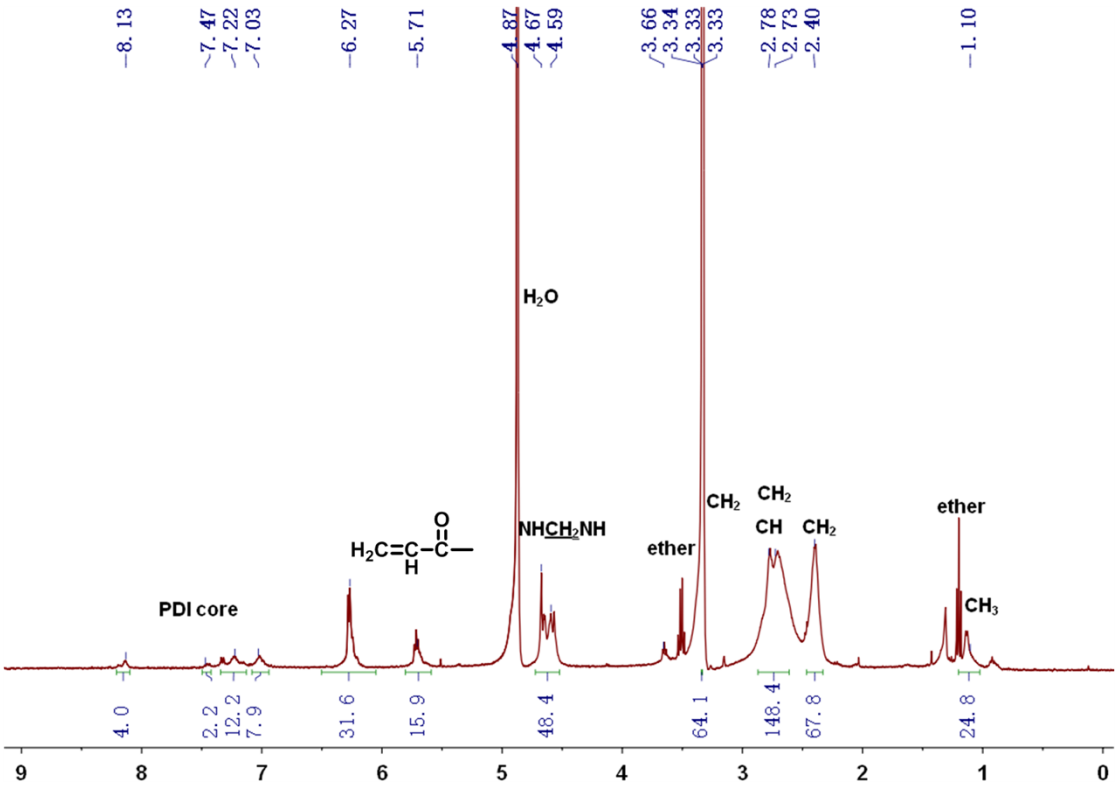


Fig. S14 [1]H NMR spectrum of the intermediate product **3b** in MeOD.

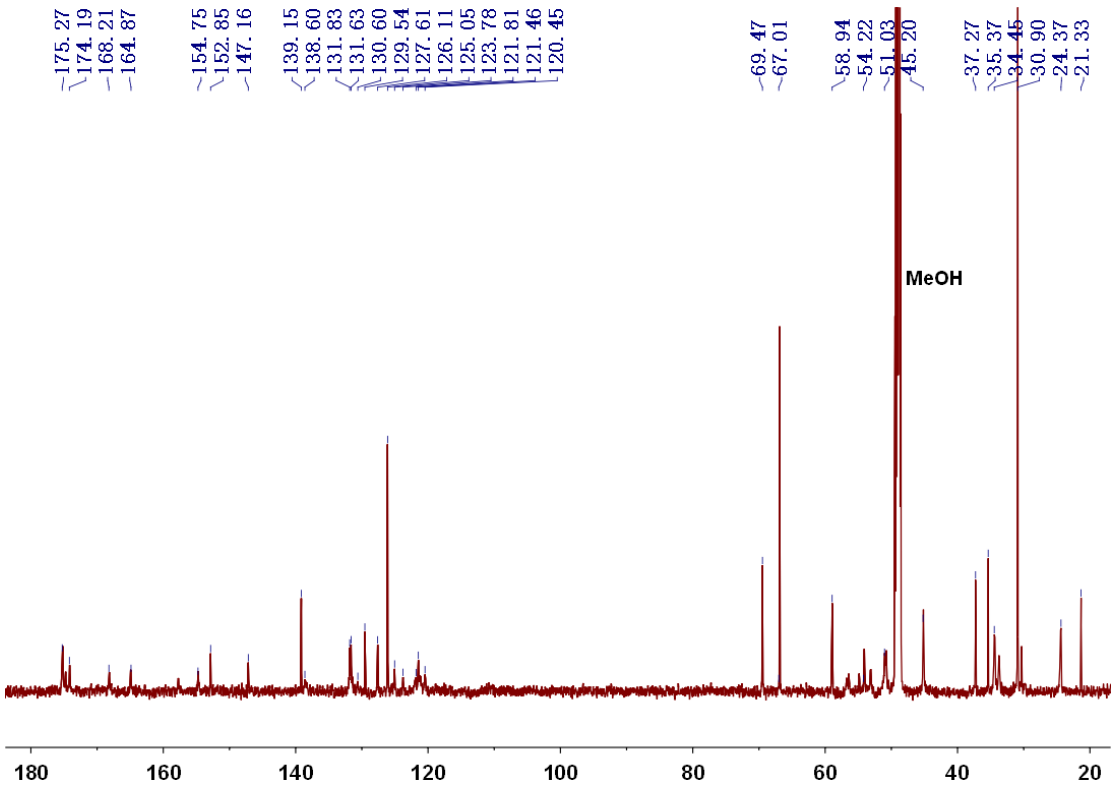


Fig. S15 [13]C NMR spectrum of the intermediate product **3b** in MeOD.

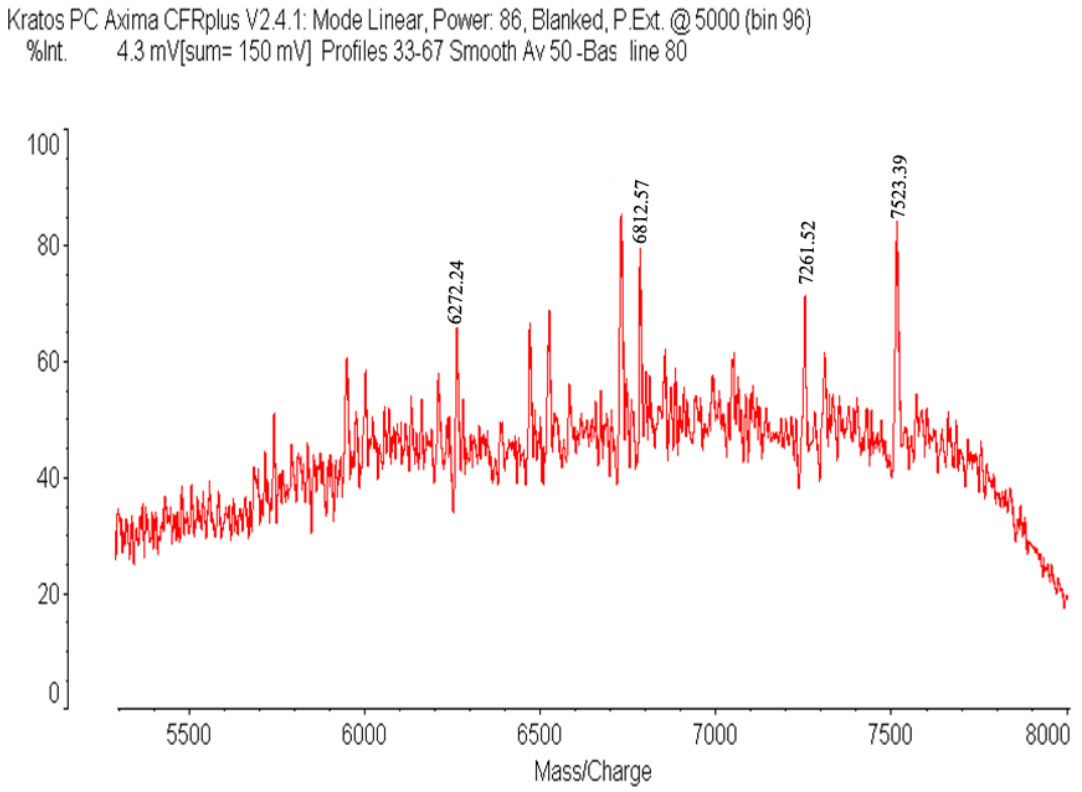


Fig. S16 MALDI-TOF MS spectrum of the intermediate product **4**. (Note: MS (MALDI-TOF, m/z) Calc. for $C_{392}H_{678}N_{126}O_{56}$: 8052.39, found: 7523.39.15 (M-2arms+K^+), 7261.52 (M-3arms+Na^++K^+))

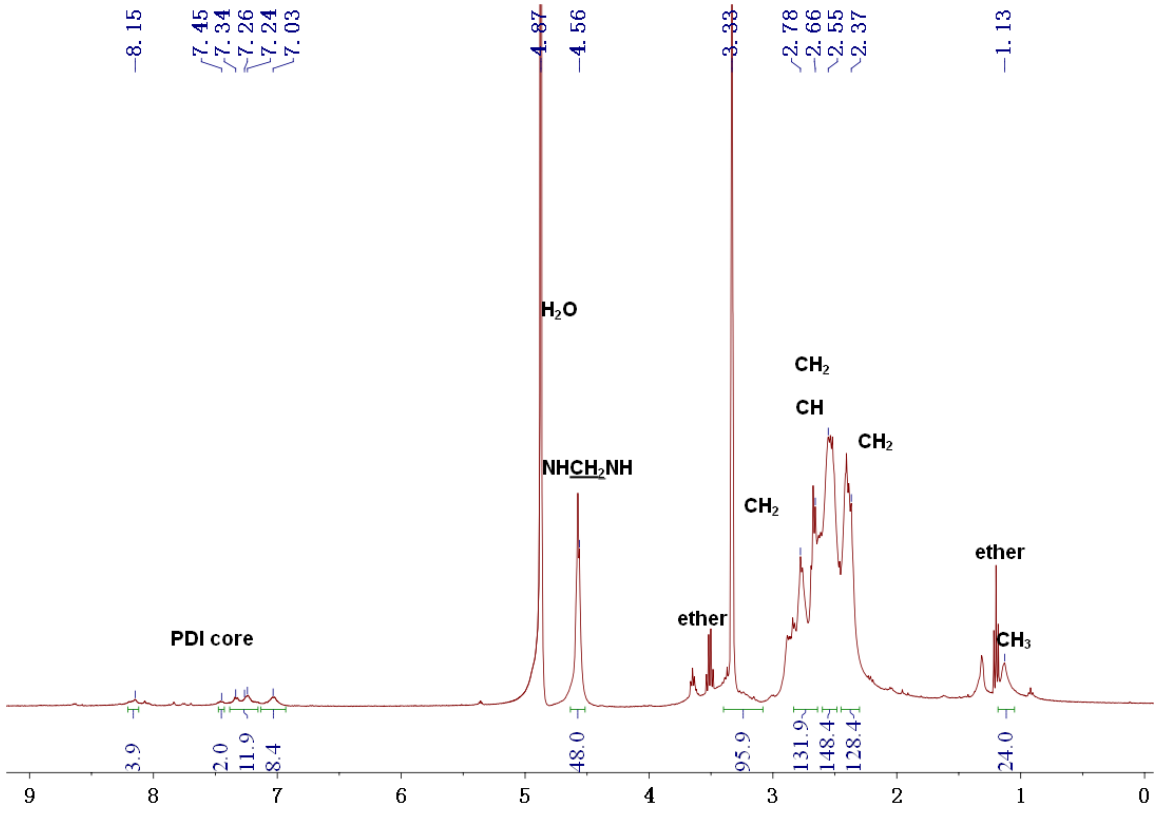


Fig. S17 ^{1}H NMR spectrum of the intermediate product **4** in MeOD.

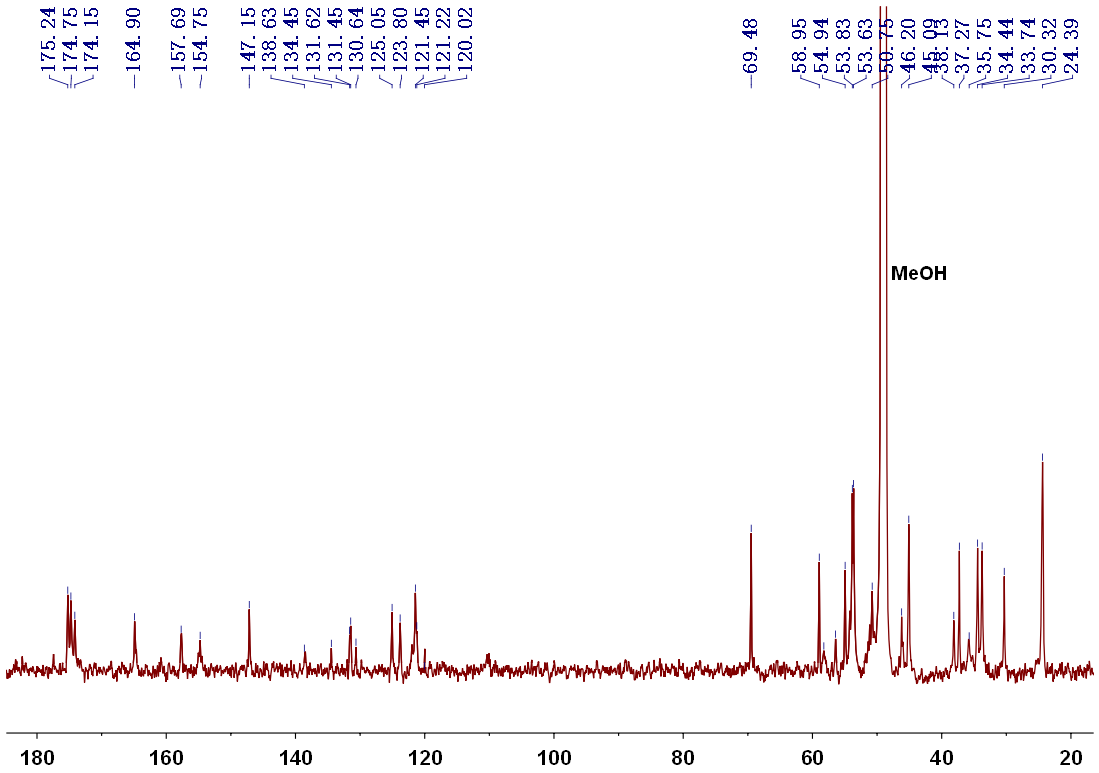


Fig. S18 ^{13}C NMR spectrum of the intermediate product **4** in MeOD.

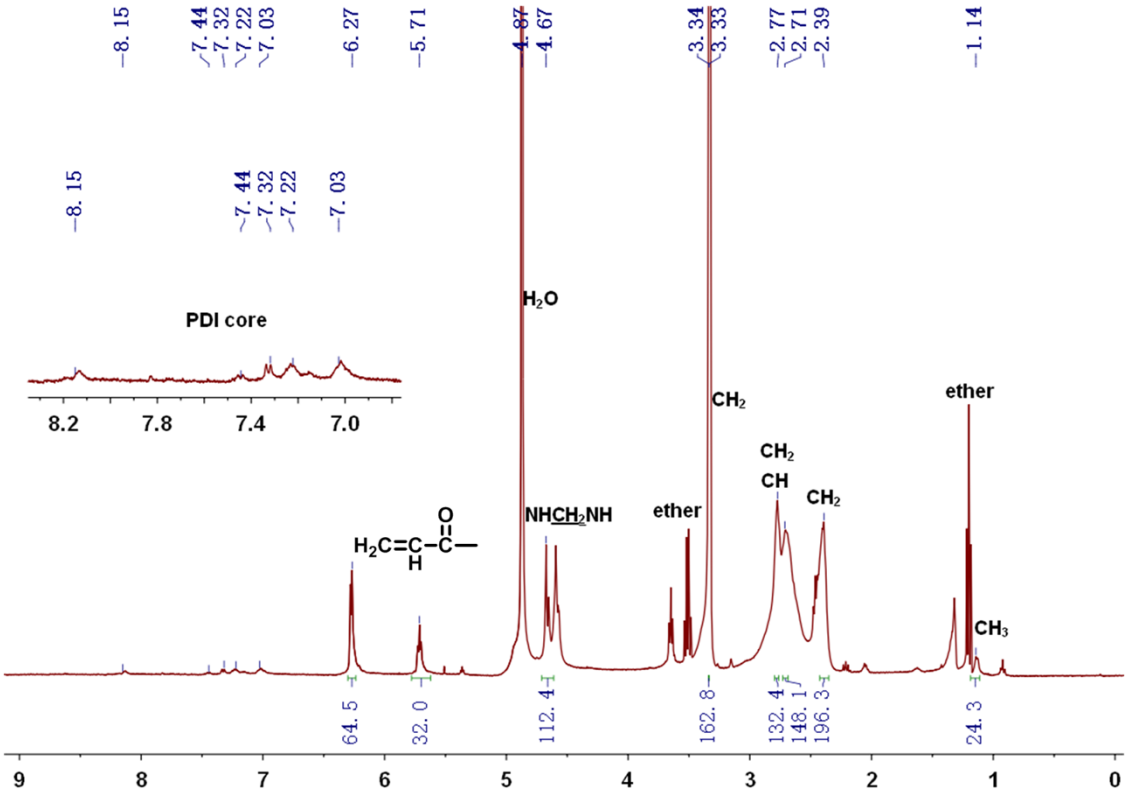


Fig. S19 ^{1}H NMR spectrum of the intermediate product **4b** in MeOD.

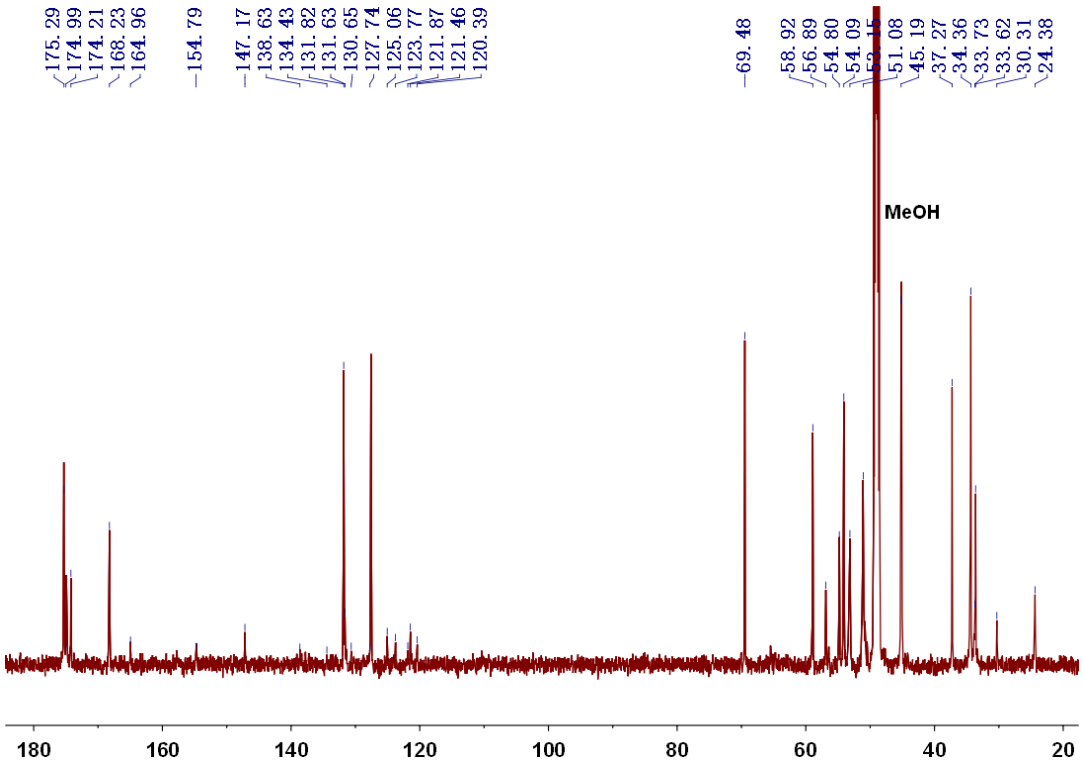


Fig. S20 ^{13}C NMR spectrum of the intermediate product **4b** in MeOD.

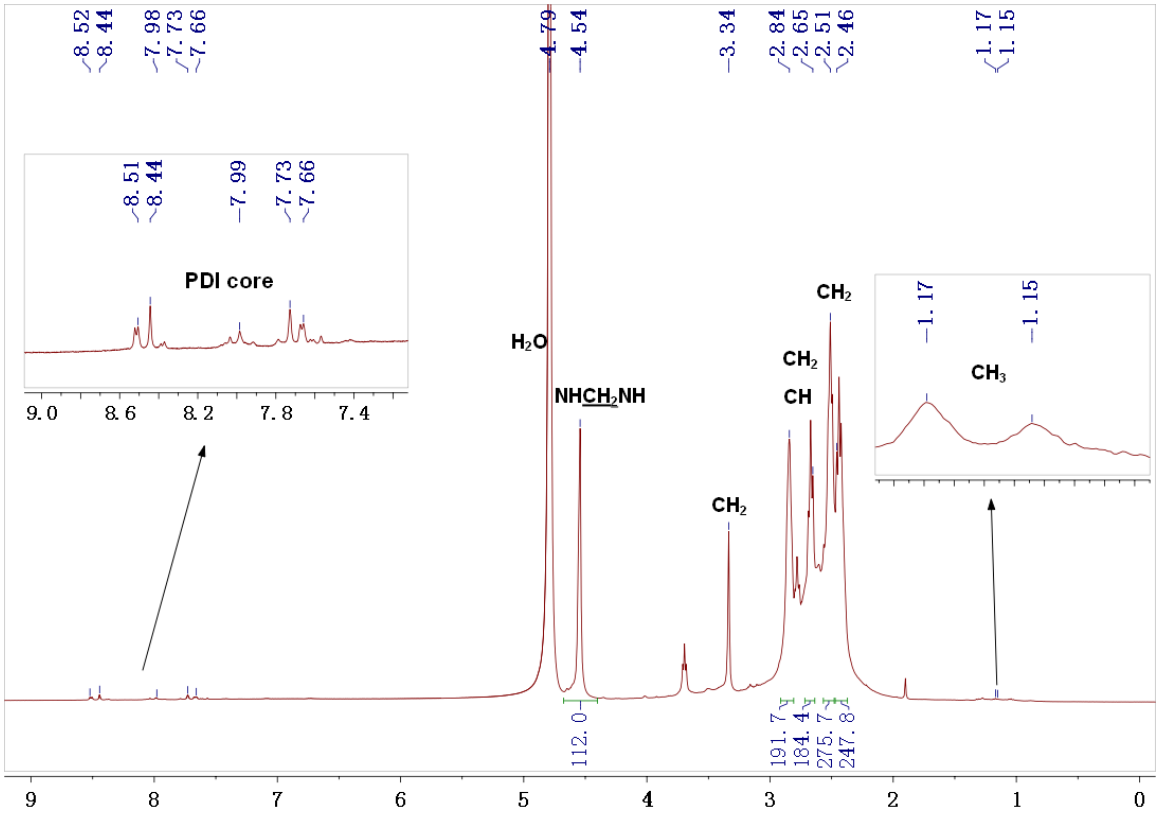


Fig. S21 ^{1}H NMR spectrum of PDI-PAmAm in D_2O.

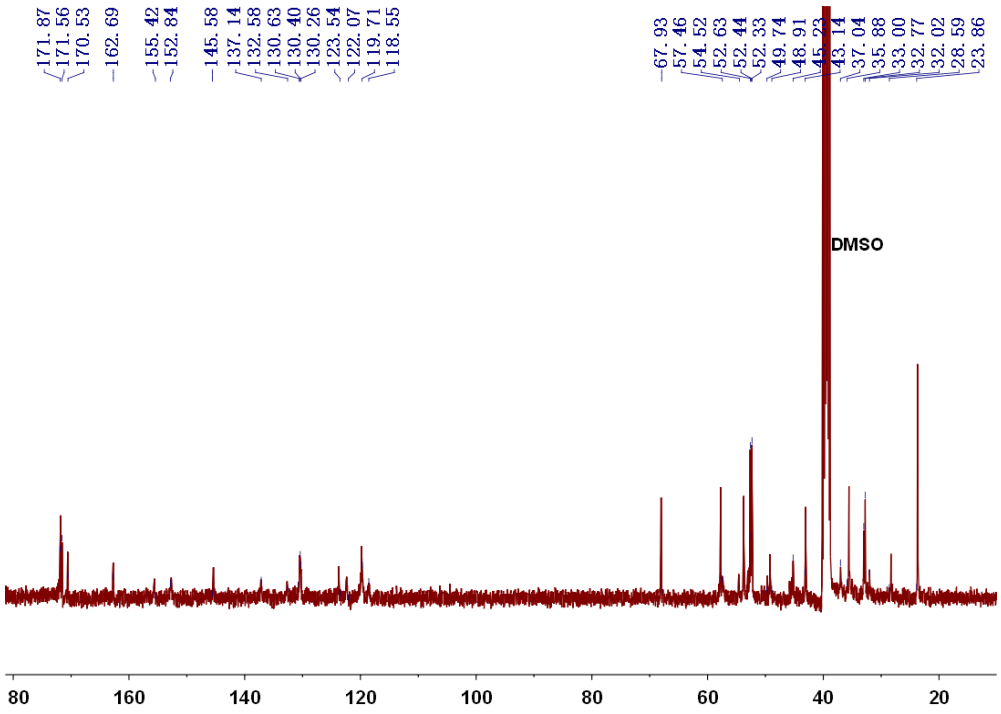


Fig. S22 ^{13}C NMR spectrum of PDI-PAmAm in DMSO.

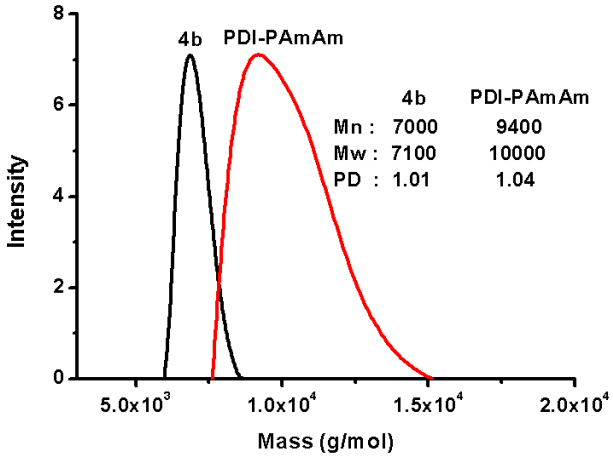


Fig. S23 GPC curve of **4b** and PDI-PAmAm with polystyrene as a standard at 25 °C.

Compound **4b** and PDI-PAmAm molecular weights were characterized by GPC in DMF (Fig. S23). The compact and branched dendrimers had smaller hydrodynamic volumes than their linear counterparts and thus showed smaller molecular weights when measured by GPC using narrow distribution polystyrene as standards.