Electronic Supplementary Material (ESI) for RSC Advances.

Supporting Information

Synthesis and Anti-osteoporosis Activity of Novel Teriparatide Glycosylation Derivatives

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1. HPLC and HRMS spectra of compounds

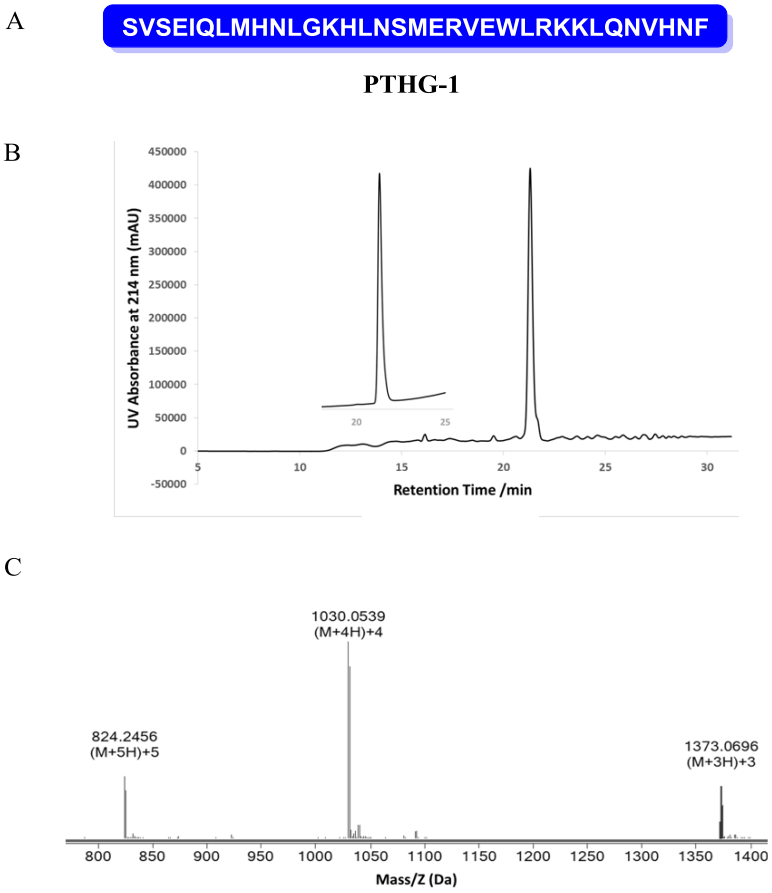


Figure S1. A) Structure of compound PTHG-1; B) HPLC trace of compound PTHG-1. Gradient: 0-90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-1 (calcd. for $C_{181}H_{296}N_{57}O_{49}S_2$ 4116.1469; found $[M+3H]^{3+}$=1373.0696; $[M+4]^{4+}$=1030.0539; $[M+5]^{5+}$=824.2456)

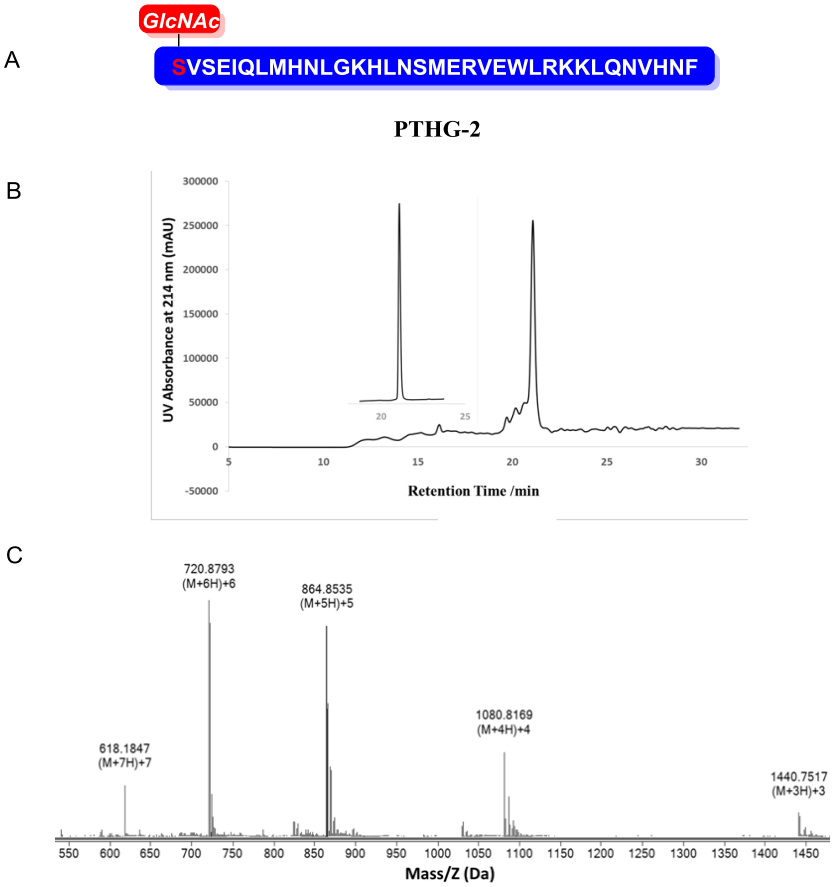
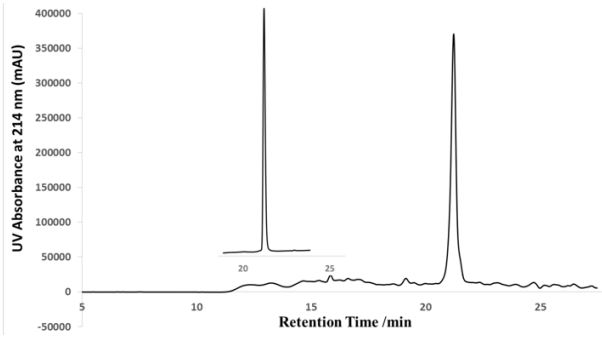


Figure S2. A) Structure of compound PTHG-2; B) HPLC of compound PTHG-2. Gradient: 0- 90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-2 (calcd. for $C_{189}H_{309}N_{58}O_{54}S_2$ 4319.2263; found $[M+3H]^{3+}$=1440.7517; $[M+4]^{4+}$=1080.8169; $[M+5]^{5+}$=864.8535; $[M+6]^{6+}$=720.8793; $[M+7]^{7+}$=618.1847)

A

PTHG-3

B



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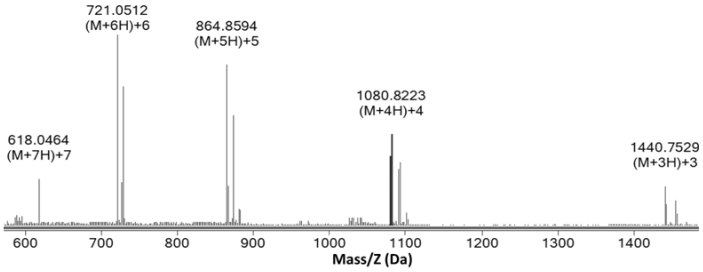


Figure S3. A) Structure of compound PTHG-3; B) HPLC of compound PTHG-3. Gradient: 0- 90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-3 (calcd. for $C_{189}H_{309}N_{58}O_{54}S_2$ 4319.2263; found $[M+3H]^{3+}$=1440.7514; $[M+4]^{4+}$=1080.8165; $[M+5]^{5+}$=864.8541; $[M+6]^{6+}$= 720.8795)

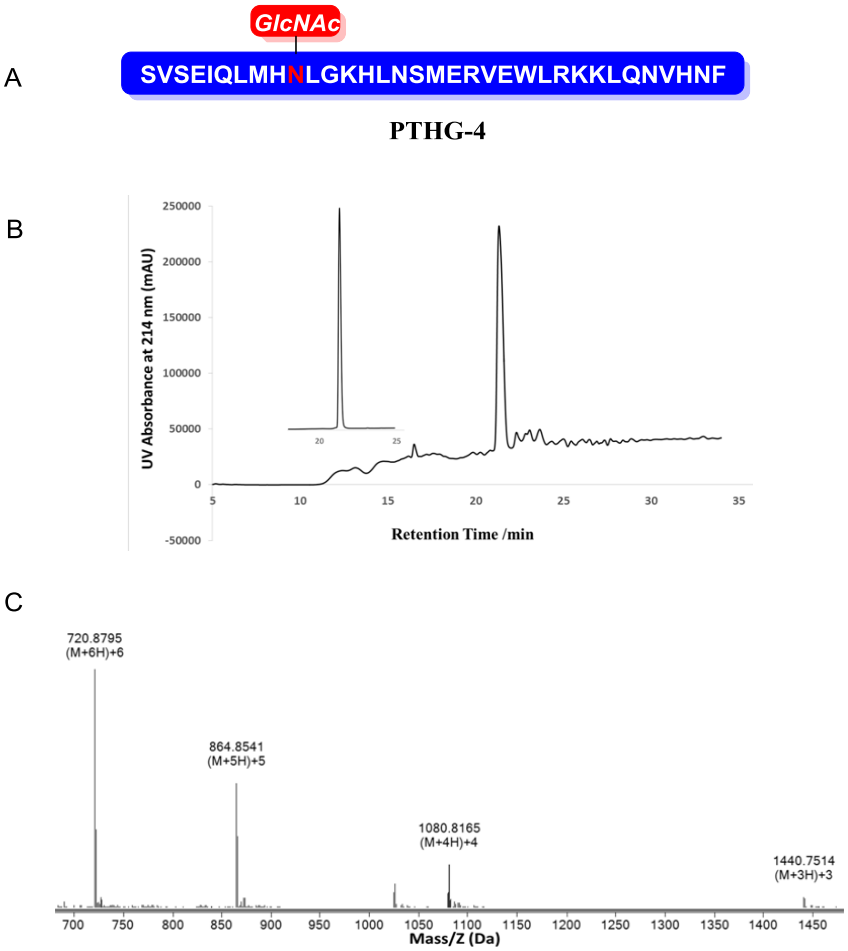


Figure S4. A) Structure of compound PTHG-4; B) HPLC of compound PTHG-4. Gradient: 0- 90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-4 (calcd. for $C_{189}H_{309}N_{58}O_{54}S_2$ 4319.2263; found $[M+3H]^{3+}$=1440.7514; $[M+4]^{4+}$=1080.8165; $[M+5]^{5+}$=864.8541; $[M+6]^{6+}$=720.8795)

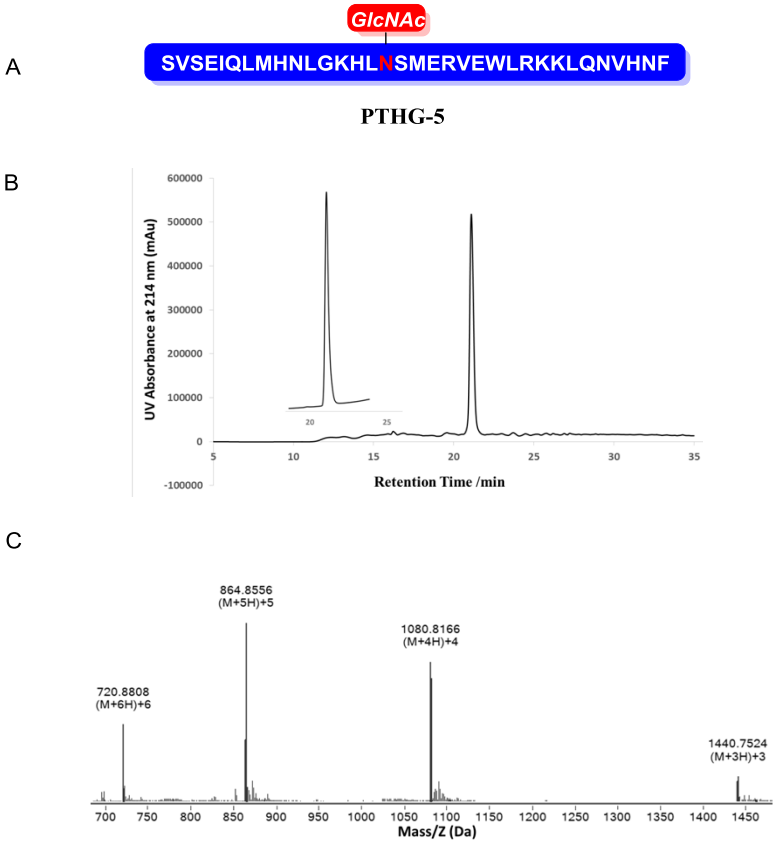


Figure S5. A) Structure of compound PTHG-5; B) HPLC of compound PTHG-5. Gradient: 0- 90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-5 (calcd. for $C_{189}H_{309}N_{58}O_{54}S_2$ 4319.2263; found $[M+3H]^{3+}$=1440.7524; $[M+4]^{4+}$=1080.8166; $[M+5]^{5+}$=864.8556; $[M+6]^{6+}$=720.8808)

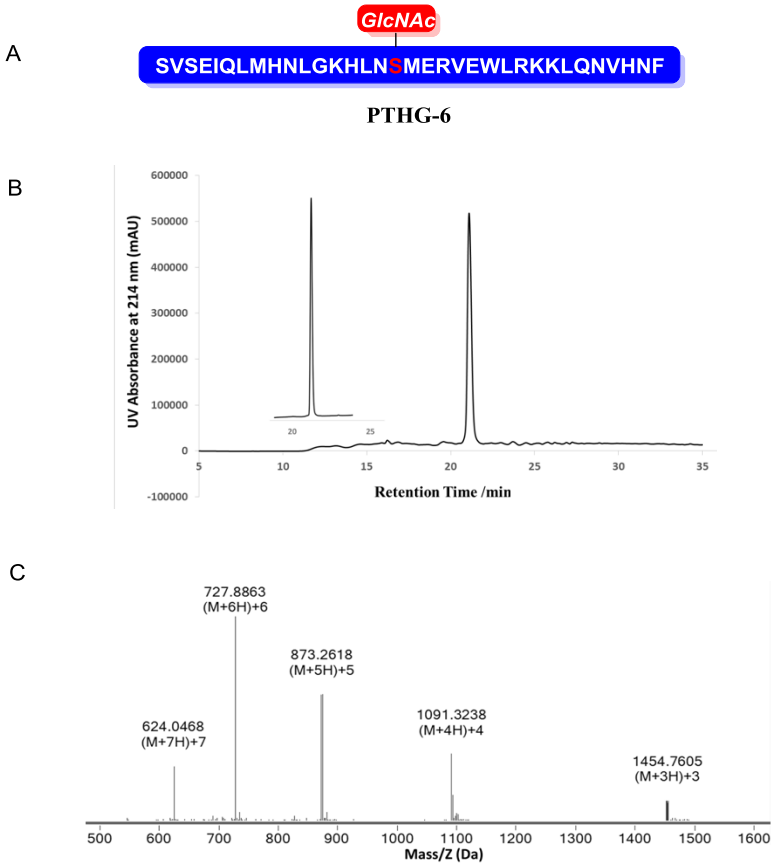
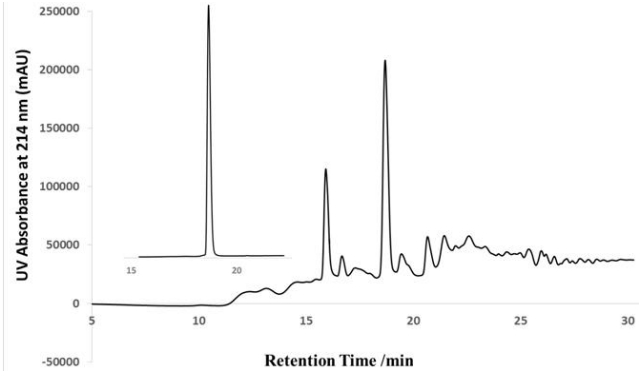


Figure S6. A) Structure of compound PTHG-6; B) HPLC of compound PTHG-6. Gradient: 0- 90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-6 (calcd. for $C_{191}H_{311}N_{58}O_{55}S_2$ 4361.2369; found $[M+3H]^{3+}$=1454.7605; $[M+4]^{4+}$=1091.3238; $[M+5]^{5+}$=873.2618; $[M+6]^{6+}$=727.8863; $[M+7]^{7+}$=624.0468)

A

PTHG-7

B



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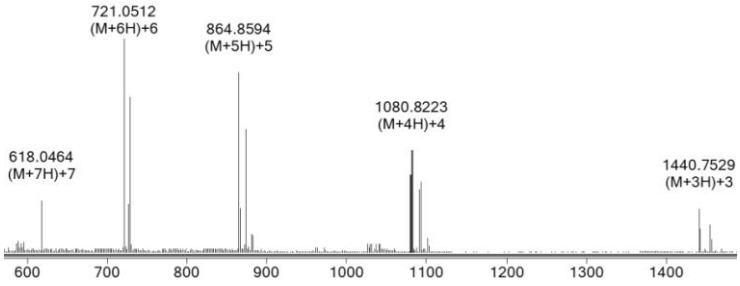
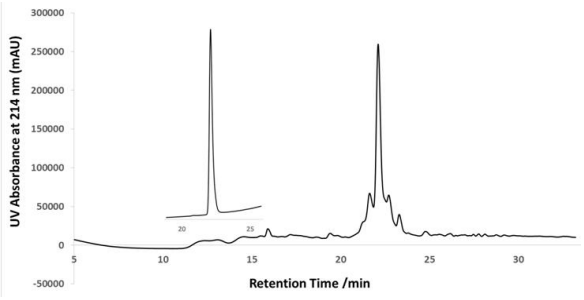


Figure S7. A) Structure of compound PTHG-7; B) HPLC of compound PTHG-7. Gradient: 0-90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-7 (calcd. for $C_{189}H_{309}N_{58}O_{54}S_2$ 4319.2263; found $[M+3H]^{3+}$=1440.7529; $[M+4]^{4+}$=1080.8223; $[M+5]^{5+}$=864.8594; $[M+6]^{6+}$= 720.0512; $[M+7]^{7+}$=618.0464)

A

PTHG-8

B



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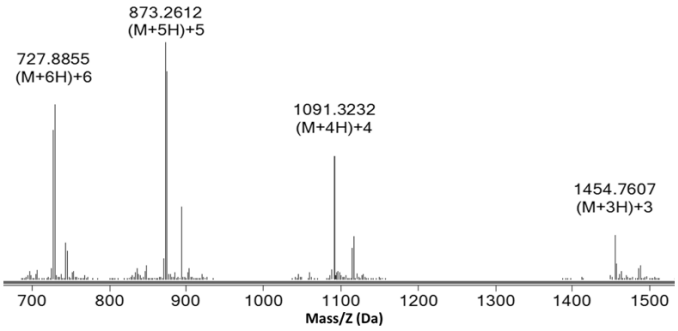


Figure S8. A) Structure of compound PTHG-8; B) HPLC of compound PTHG-8. Gradient: 0- 90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-8 (calcd. for $C_{191}H_{311}N_{58}O_{55}S_2$ 4361.2369; found $[M+3H]^{3+}$=1454.7607; $[M+4]^{4+}$=1091.3232; $[M+5]^{5+}$=873.2612; $[M+6]^{6+}$=727.8855)

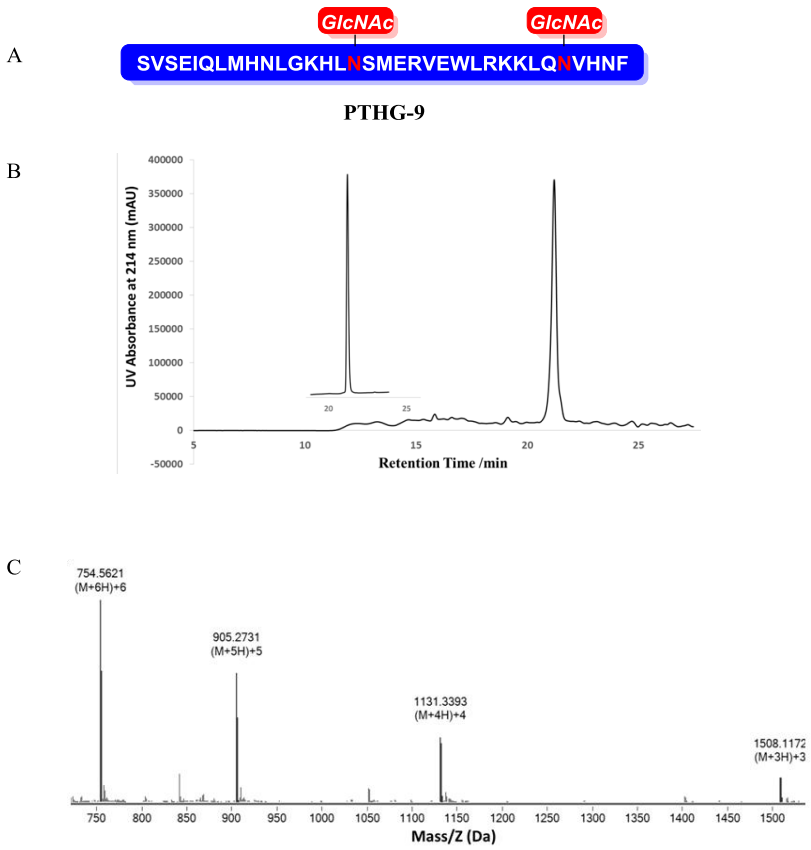
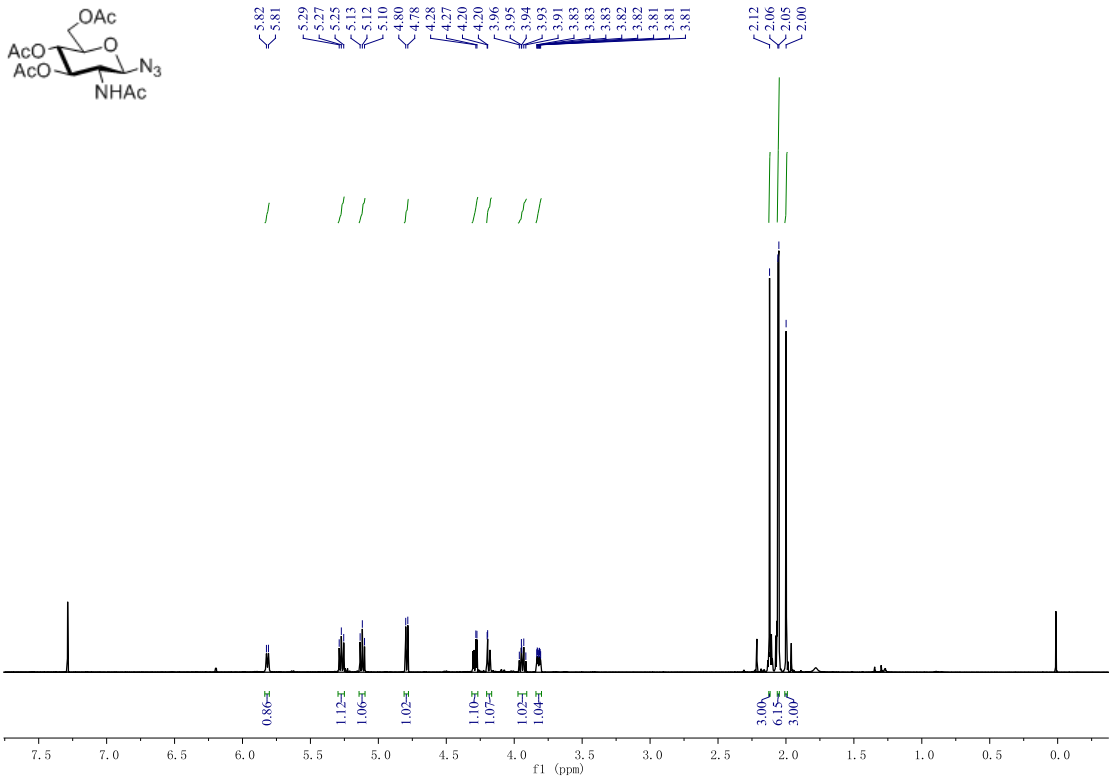
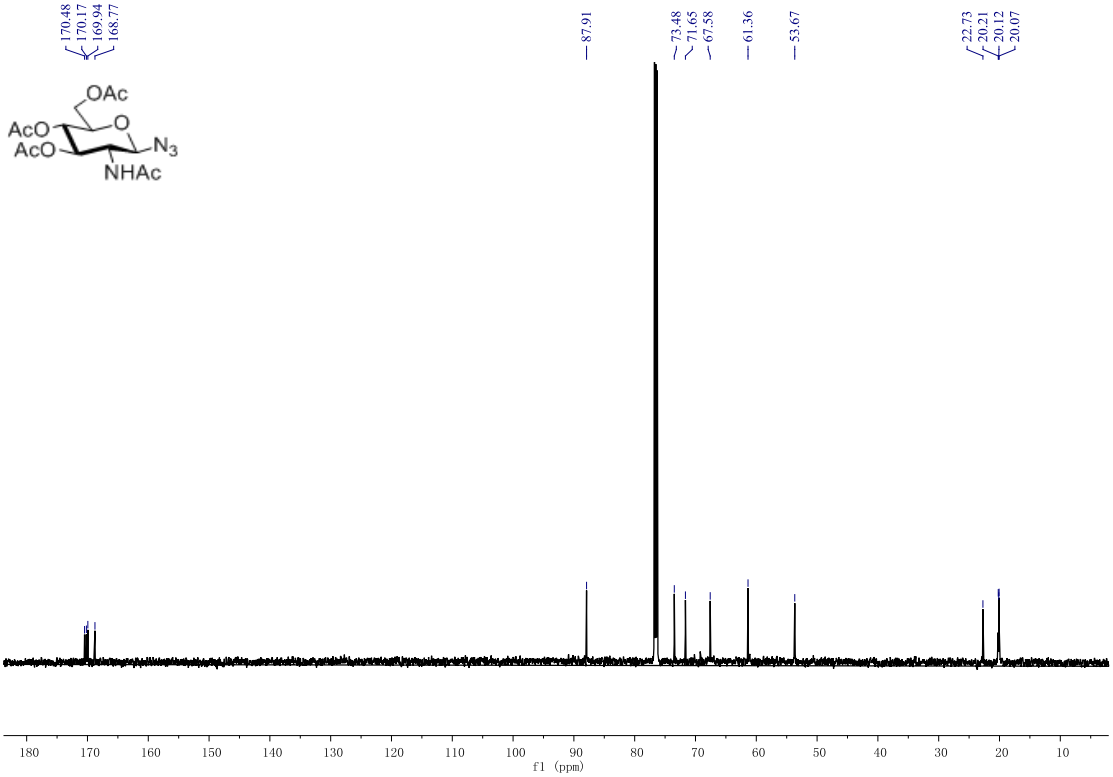
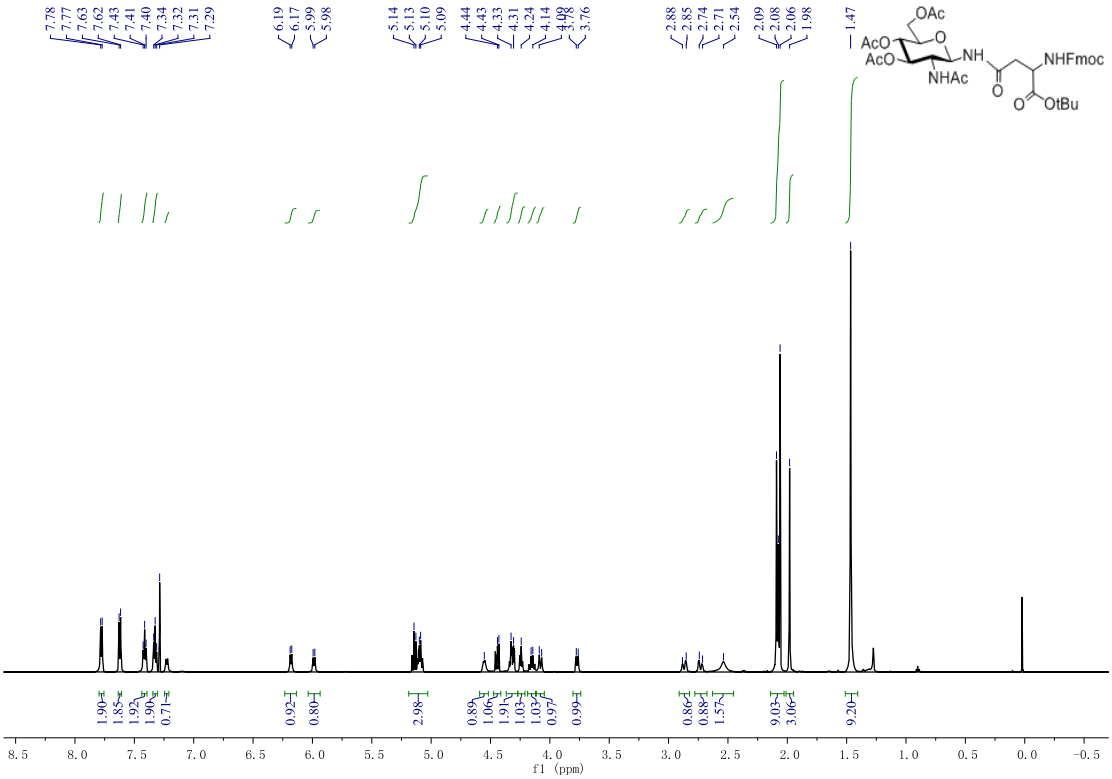


Figure S9. A) Structure of compound PTHG-9; B) HPLC of compound PTHG-9. Gradient: 0-90% of buffer B in 20 min with C18 column (5 μm, 2.5 mm×250 mm); C) HR-MS of compound PTHG-9 (calcd. for $C_{197}H_{322}N_{59}O_{59}S_2$ 4522.3057; found $[M+3H]^{3+}$=1508.1172; $[M+4]^{4+}$=1131.3393; $[M+5]^{5+}$=905.2731; $[M+6]^{6+}$= 754.5621)

2. ^{1}H- and ^{13}C-NMR spectra of compounds



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5.98
5.14
5.13
5.10
5.09
4.44
4.43
4.33
4.31
4.24
4.14
4.08
3.76
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2.85
2.74
2.71
2.54
2.09
2.08
2.06
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AcO
AcO
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NH
NHAc
NHFmoc
O
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1.92
1.90
0.71
0.92
0.80
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0.89
1.06
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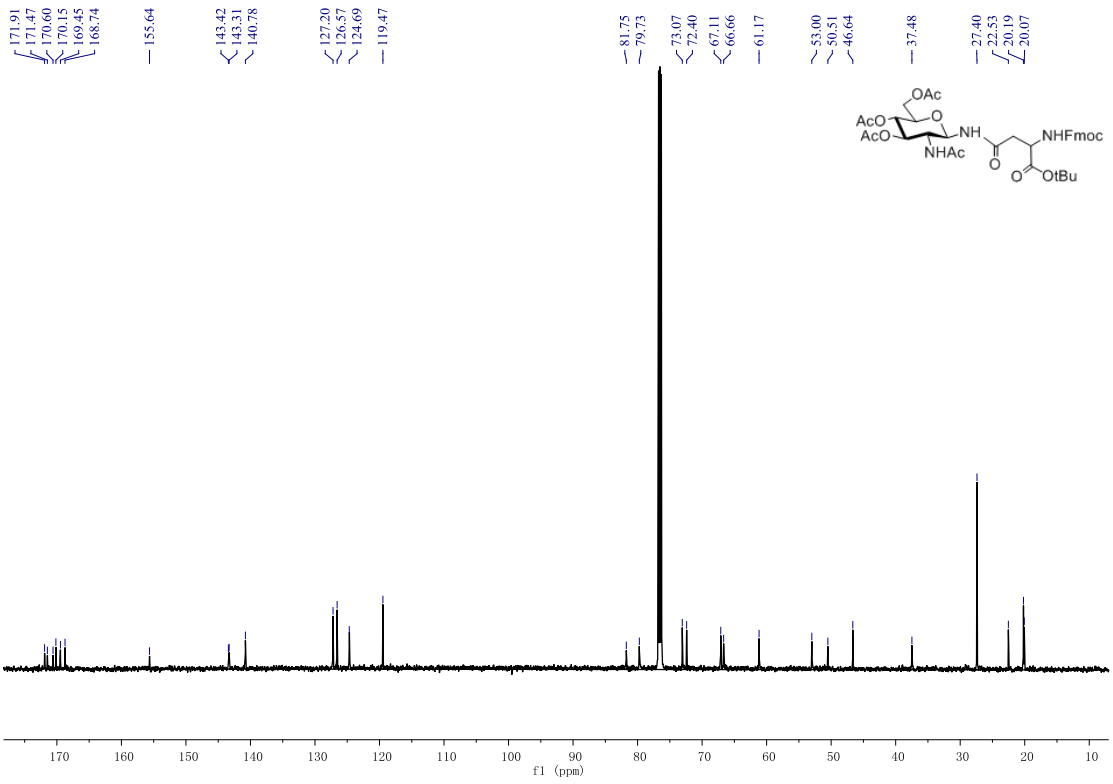
3.06
9.20
f1 (ppm)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79.73
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72.40
67.11
66.66
61.17
53.00
50.51
46.64
37.48
27.40
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AcO
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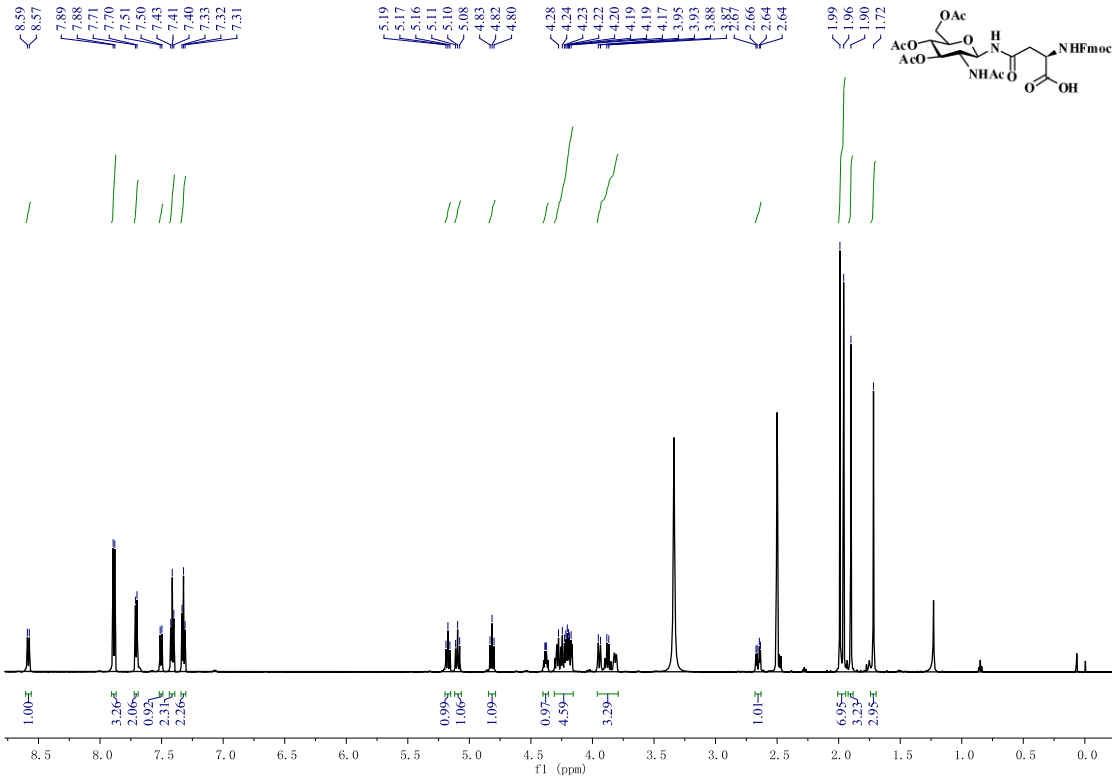
NHFmoc
O
O
OtBu
f1 (ppm)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5.17
5.16
5.11
5.10
5.08
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4.82
4.80
4.28
4.24
4.23
4.22
4.20
4.19
4.17
3.95
3.93
3.88
3.87
2.67
2.66
2.64
2.64
1.99
1.96
1.90
1.72
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AcO
AcO
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H
N
NHAc
O
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NHFmoc
O
OH
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2.06
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1.09
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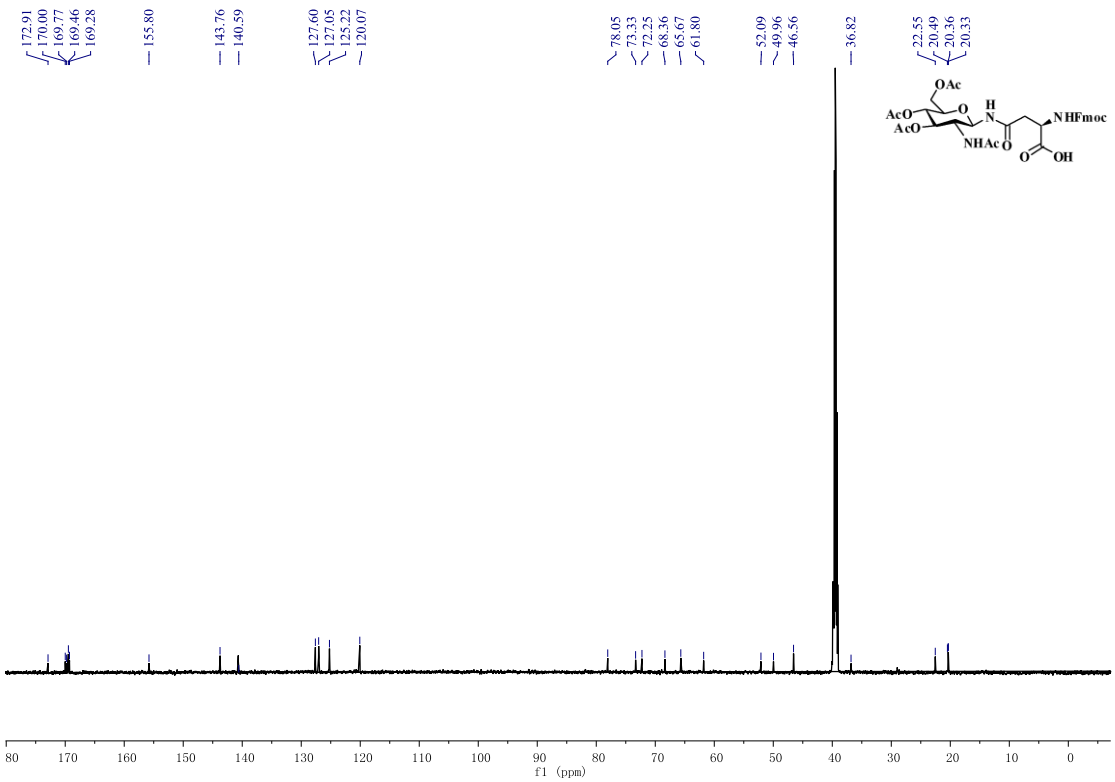
f1 (ppm)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68.36
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61.80
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49.96
46.56
36.82
22.55
20.49
20.36
20.33
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AcO
AcO
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NHAc
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O
NHFmoc
O
OH
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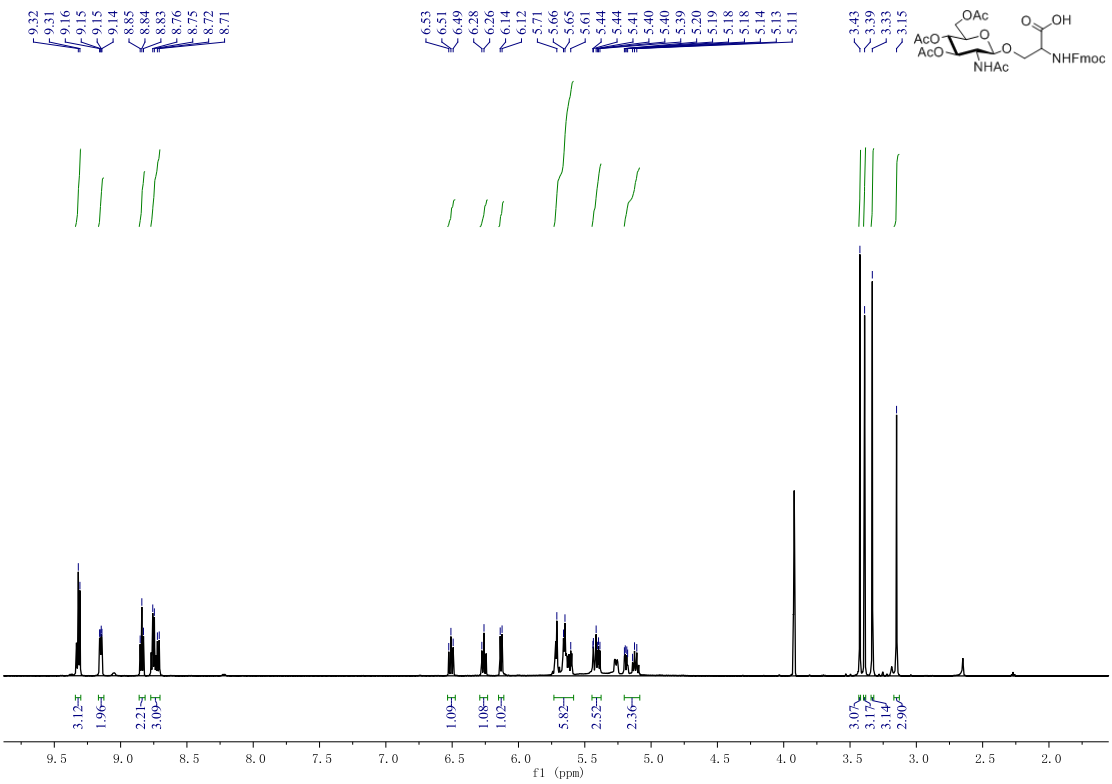
f1 (ppm)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5.39
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5.19
5.18
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5.13
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3.15
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AcO
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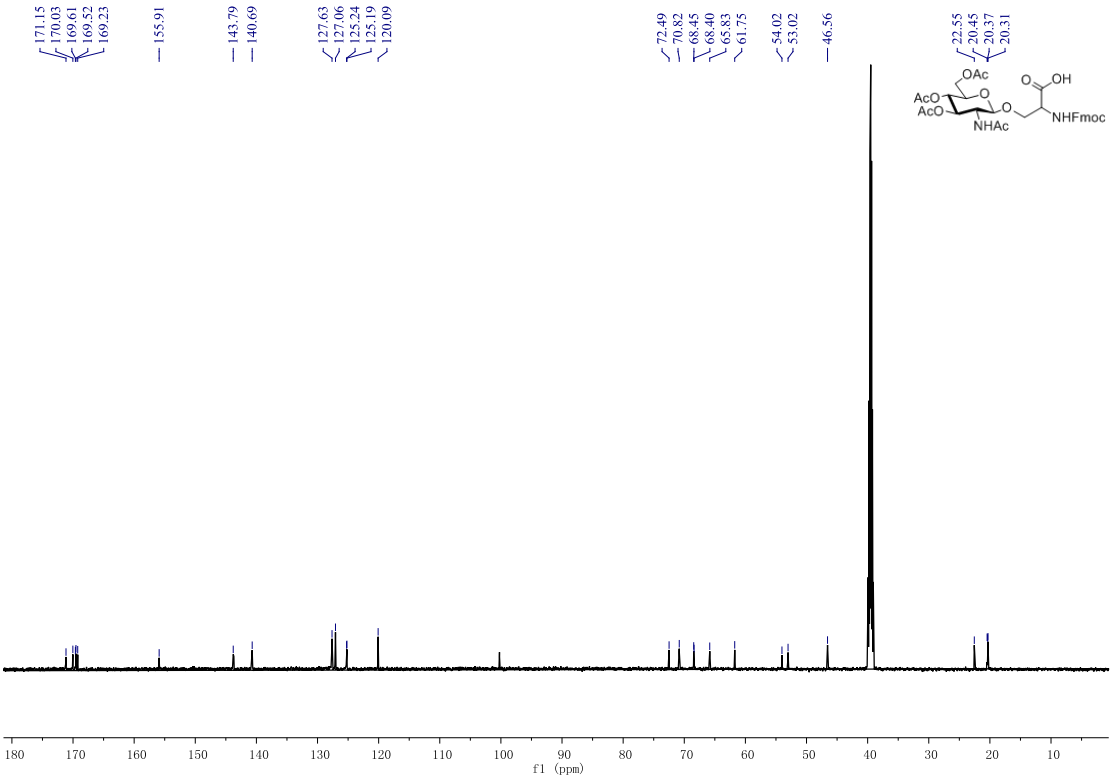
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NHFmoc
f1 (ppm)