

Coupling of *N*-tosylhydrazones with tetrazoles: synthesis of 2- β -D-glycopyranosylmethyl-5-substituted-2*H*-tetrazole type glycomimetics

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General procedure I for the synthesis of 5-substituted 1*H*-tetrazoles (3)¹

A solution of nitrile (1 mmol) and trimethylsilyl azide (2 mmol) in toluene (2 mL) was added to dibutyltin oxide (0.1 mmol). The suspension was stirred and heated to 80-120 °C. When TLC (1:2 acetone–hexane) indicated complete consumption of the starting compound (1 or 5 days). The solvent was removed under reduced pressure, and repeated co-evaporations with methanol. The reaction mixture was diluted with diethyl ether (4.5 mL), washed with saturated sodium hydrogencarbonate solution water (2 × 4.5 mL). The combined aqueous extracts were acidified to pH 2 with 10% hydrochloric acid solution and then extracted with ethyl acetate (2 × 4.5 mL). The combined organic and dried on anhydrous magnesium sulfate. The solution was concentrated under reduced pressure to give 5-substituted 1*H*-tetrazoles.

5-Phenyl-1*H*-tetrazole (3d)

Prepared from benzonitrile (1.98 mL, 2.00 g, 19.4 mmol) at 80 °C for a day according to the General procedure I to yield 1.40 g (49%) of **3d** as white crystals. NMR spectrum is identical with that reported.¹

5-Naphthalen-2-yl-1*H*-tetrazole (3f)

Prepared from 2-naphthonitrile (2.98 g, 19.4 mmol) at 90-120 °C for a day according to the General procedure I to yield 2.66 g (74%) of **3f** as white crystals. NMR spectrum is identical with that reported.²

2-(1*H*-Tetrazol-5-yl)phenol (3g)

Prepared from 2-hydroxybenzonitrile (3.21 g, 26.9 mmol) at 90-120 °C for a day according to the General procedure I to yield 2.88 g (66%) of **3g** as white crystals. NMR spectrum is identical with that reported.³

3-(1*H*-Tetrazol-5-yl)aniline (3h)

Prepared from 3-aminobenzonitrile (0.30 g, 2.54 mmol) at 110 °C for 5 days according to the General procedure I to yield 65 mg (16%) of **3h** as white crystals. NMR spectrum is identical with that reported.⁴

1-(4-(1*H*-Tetrazol-5-yl)phenyl)ethan-1-one (3i)

Prepared from 4-acetylbenzonitrile (2.10 g, 14.5 mmol) at 90-120 °C for a day according to the General procedure I to yield 2.70 g (99%) of **3i** as white crystals. NMR spectrum is identical with that reported.²

5-(2-Chlorophenyl)-1*H*-tetrazole (3j)

Prepared from 2-chlorobenzonitrile (2.72 g, 19.8 mmol) at 90-120 °C for a day according to the General procedure I to yield 2.73 g (76%) of **3j** as white crystals. NMR spectrum is identical with that reported.³

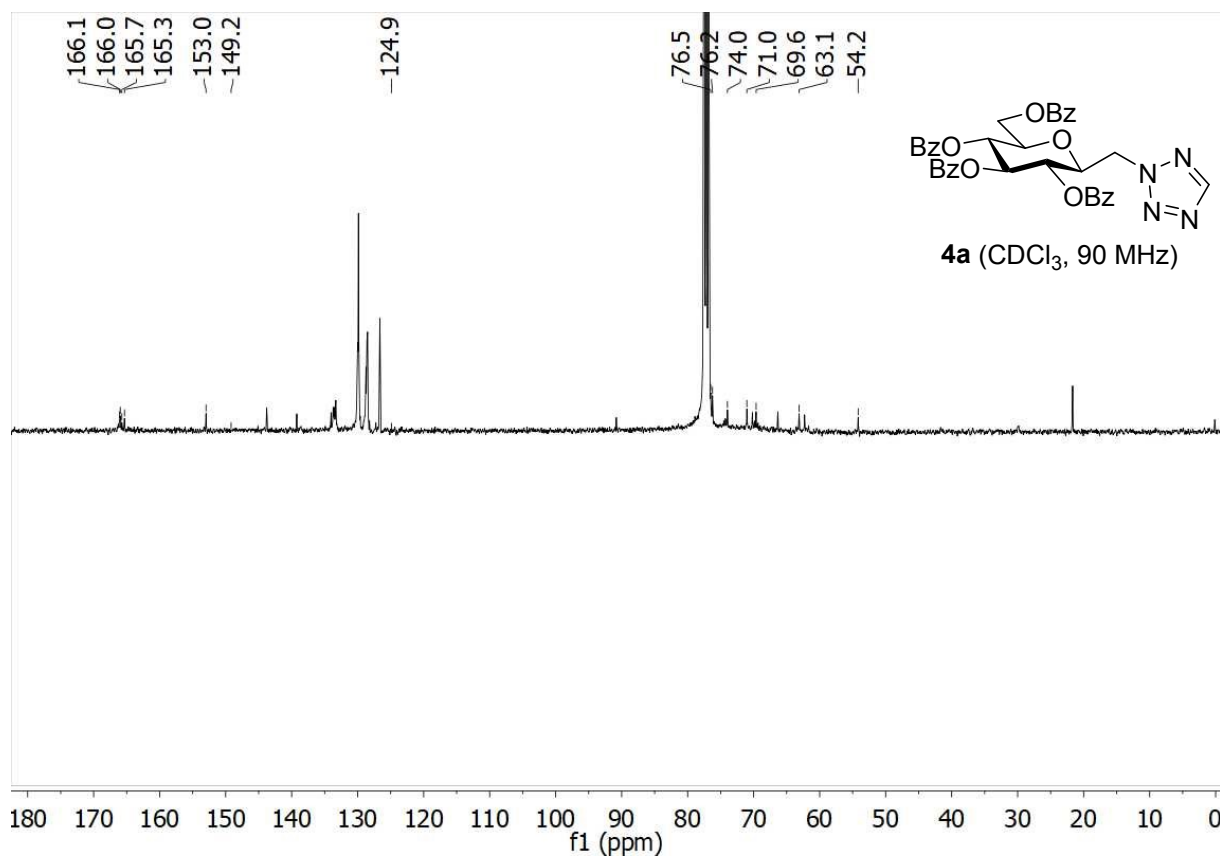
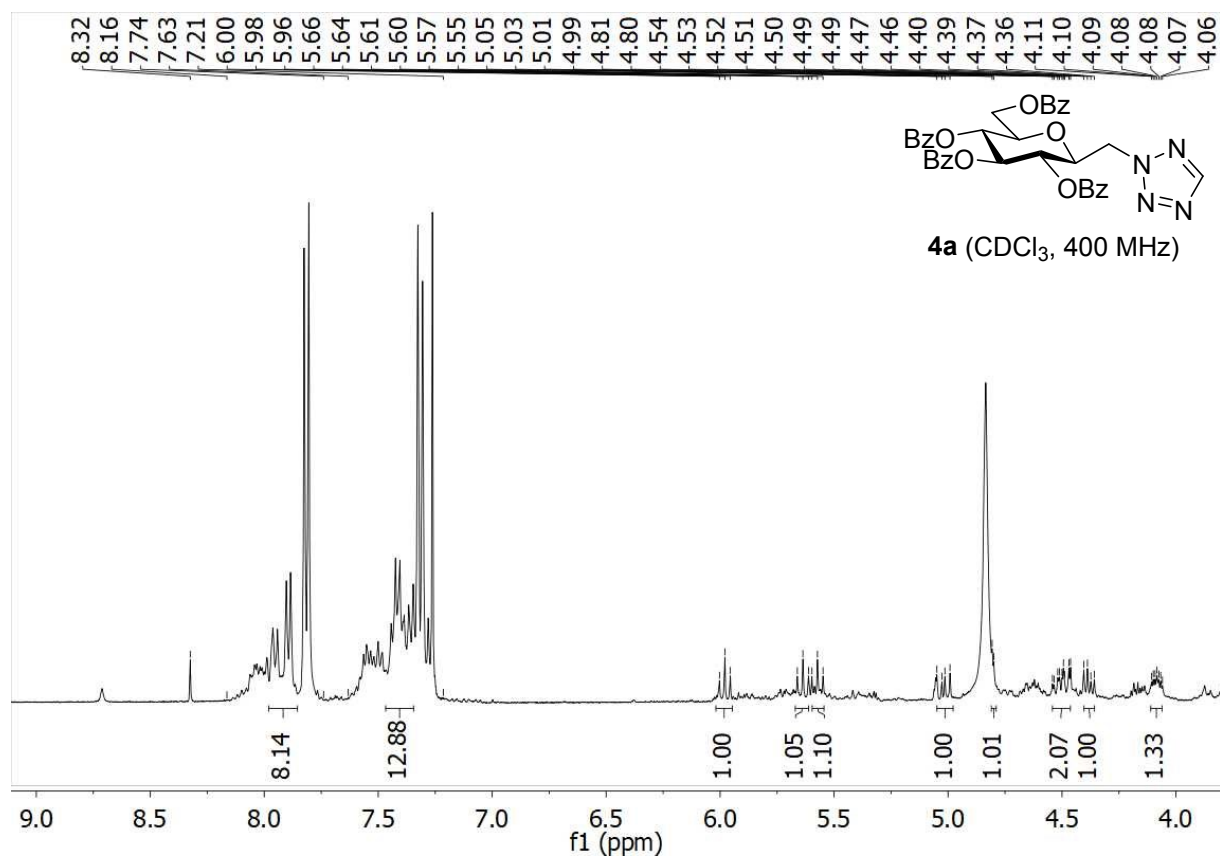
5-(3-Bromophenyl)-1*H*-tetrazole (3k)

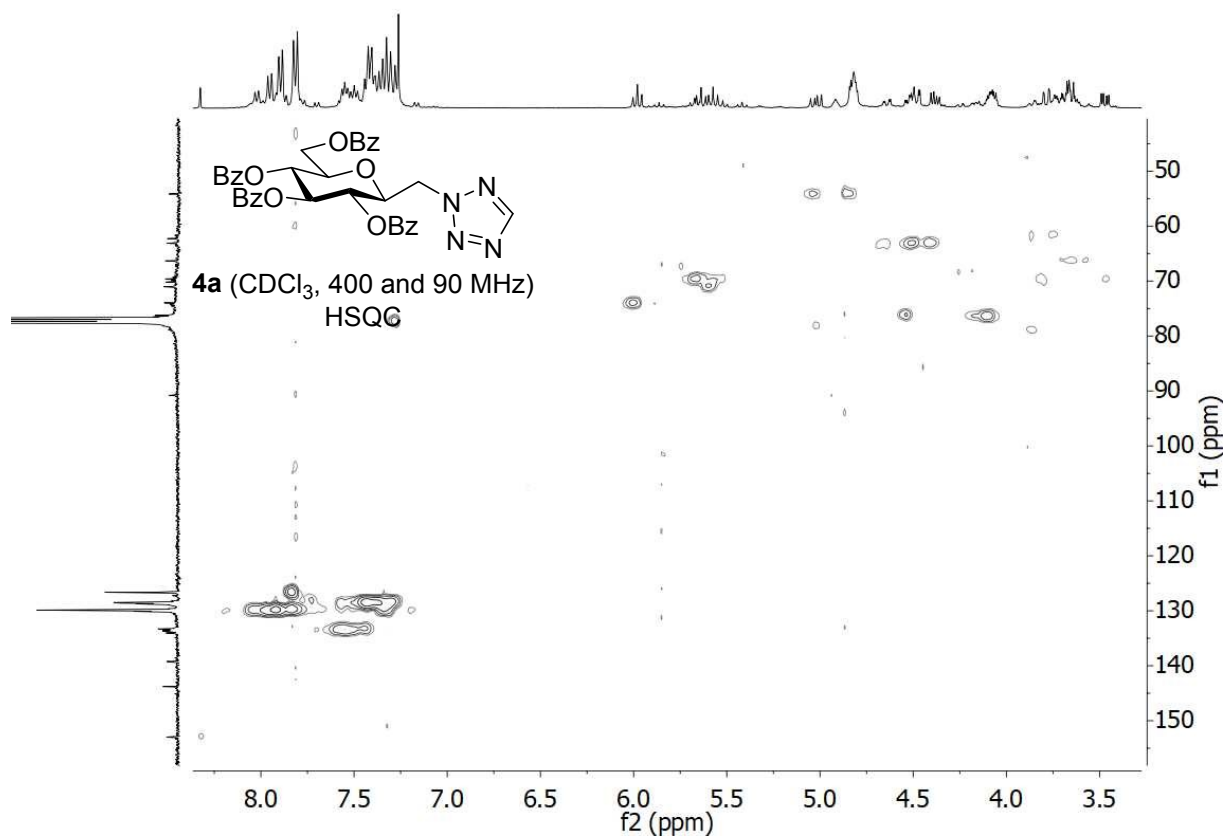
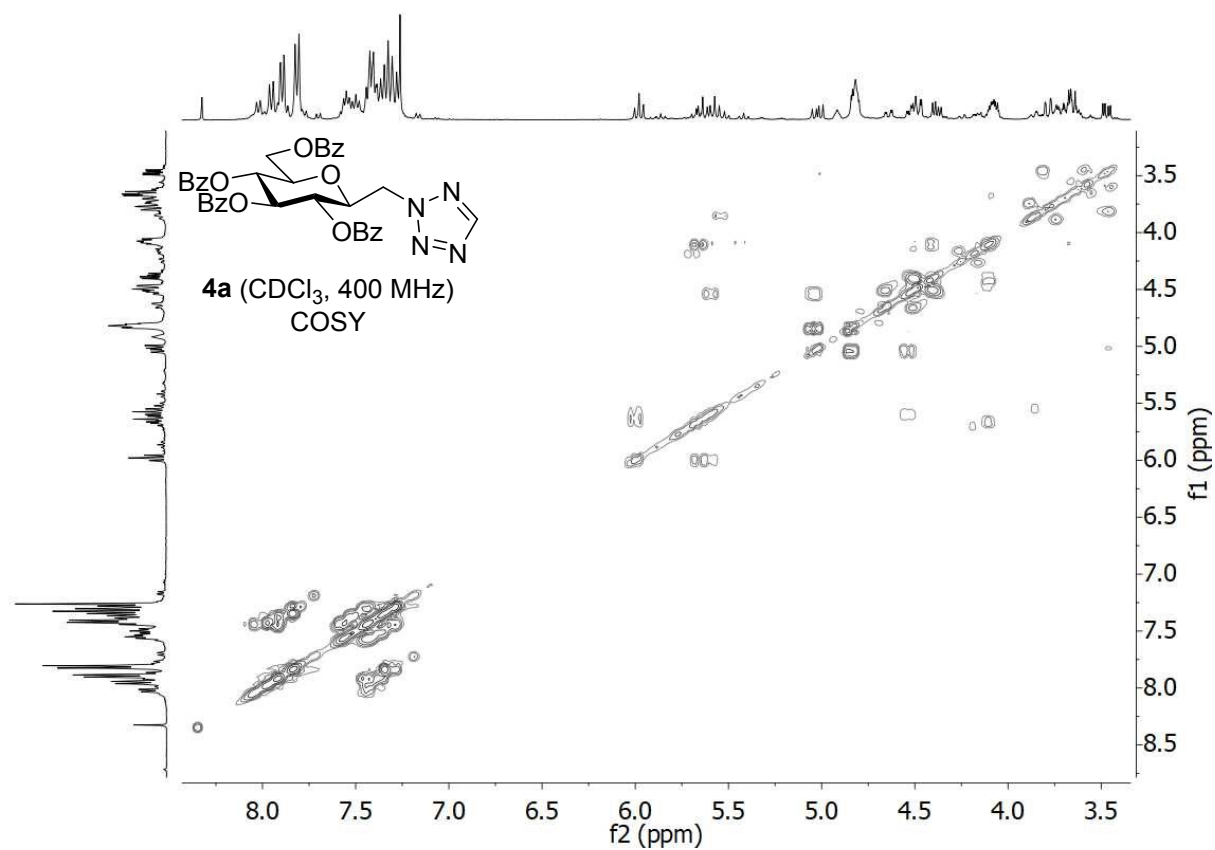
Prepared from 3-bromobenzonitrile (0.30 g, 1.65 mmol) at 110 °C for 5 days according to the General procedure I to yield 334 mg (90%) of **3k** as white crystals. NMR spectrum is identical with that reported.¹

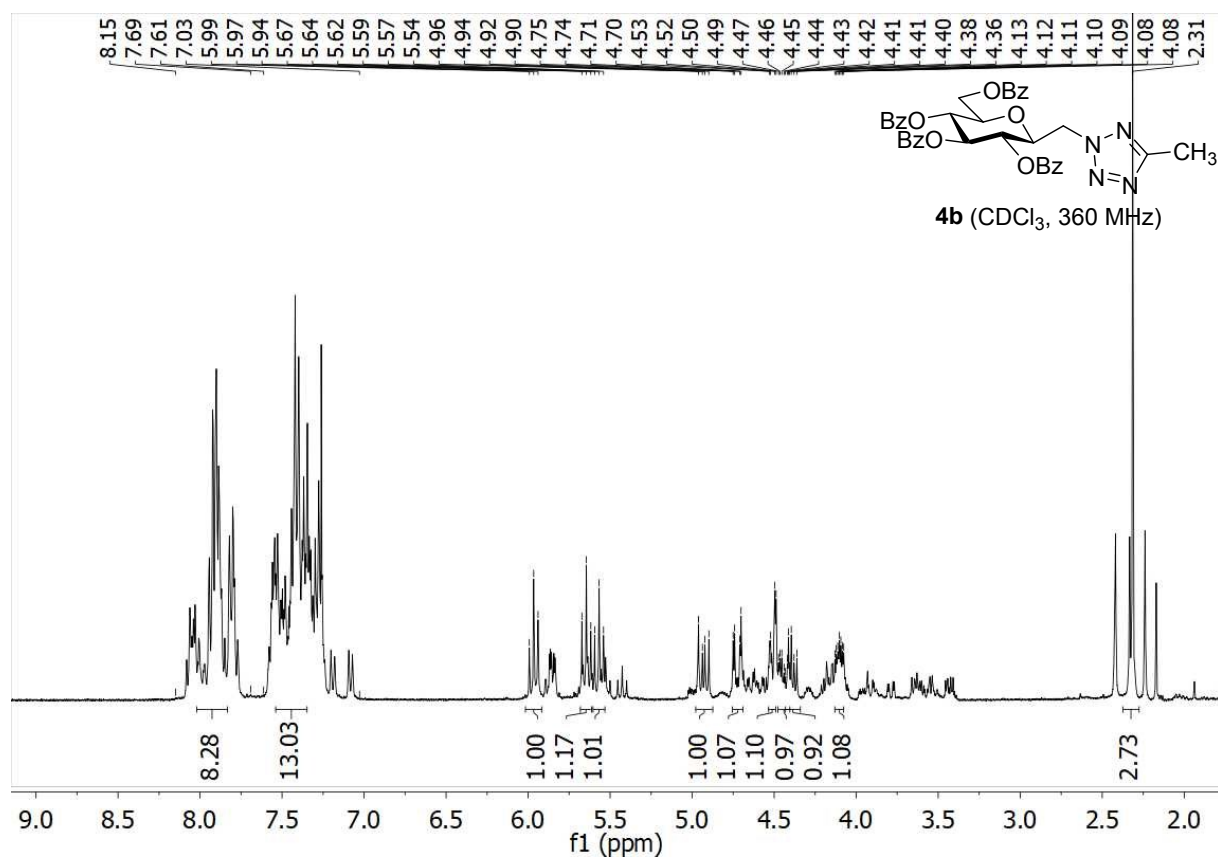
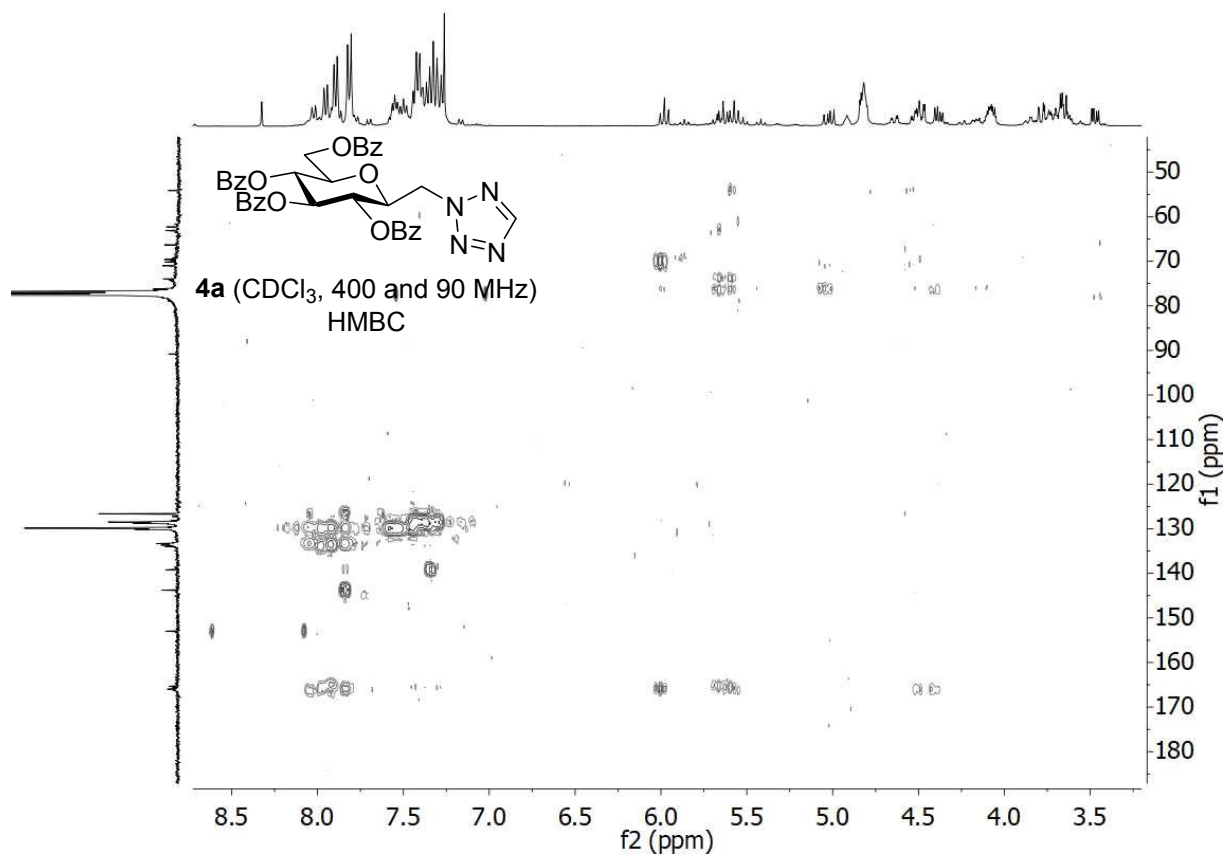
5-(4-Bromophenyl)-1*H*-tetrazole (3l)

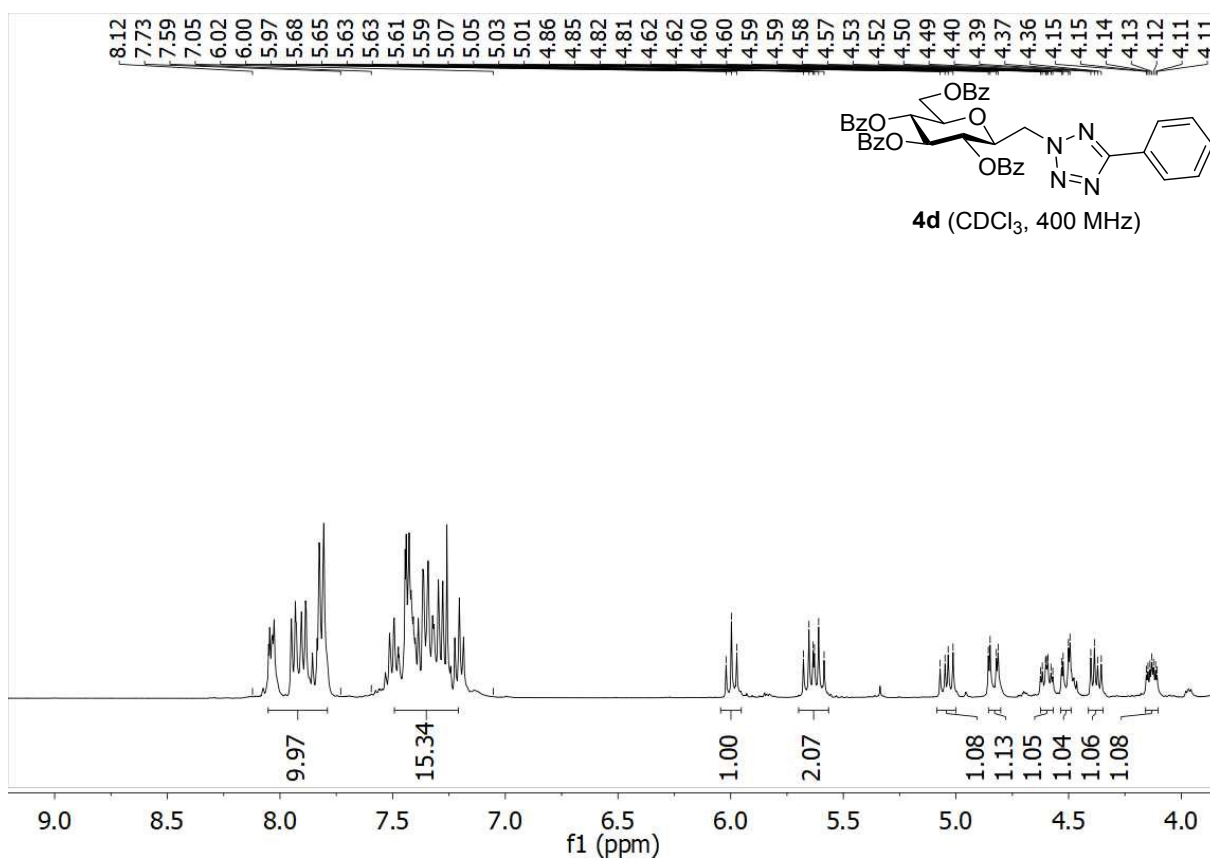
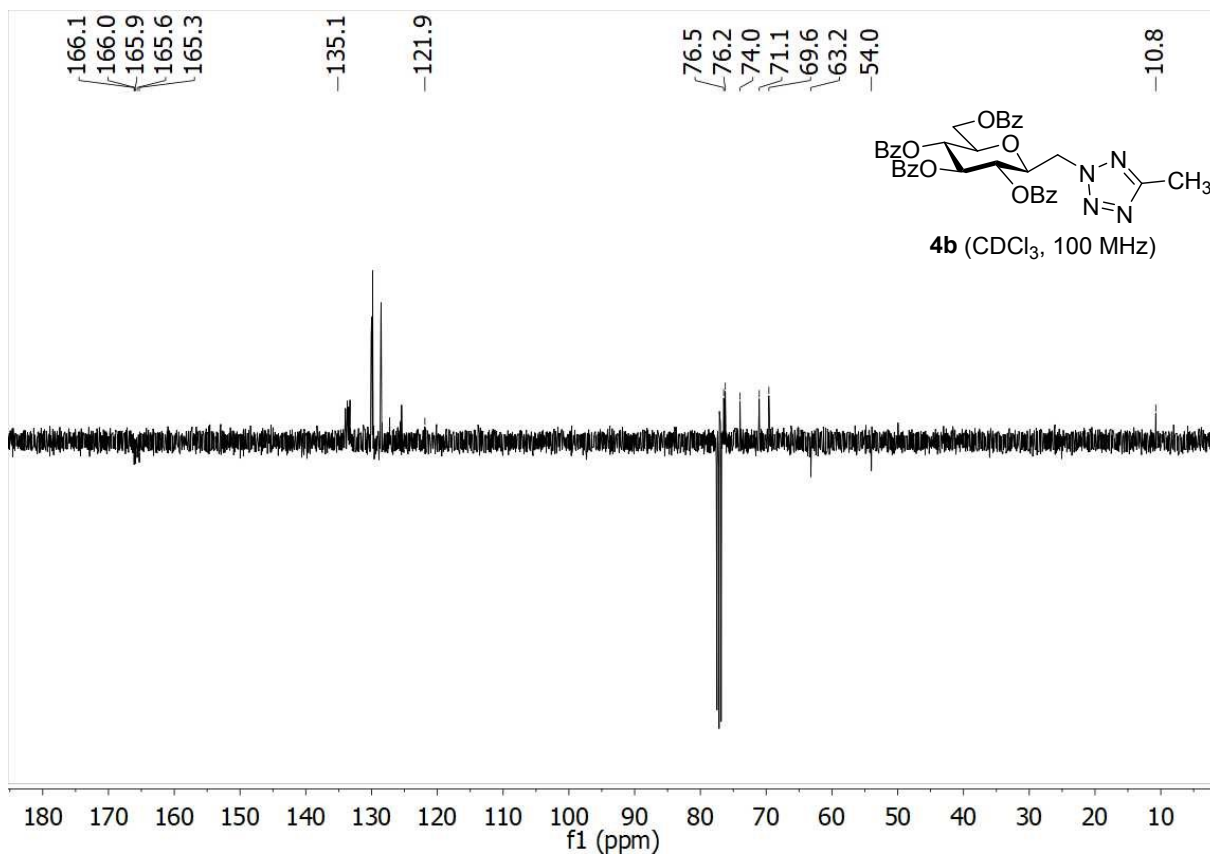
Prepared from 4-bromobenzonitrile (3.56 g, 19.6 mmol) at 90-120 °C for a day according to the General procedure I to yield 3.47 g (79%) of **3l** as white crystals. NMR spectrum is identical with that reported.⁵

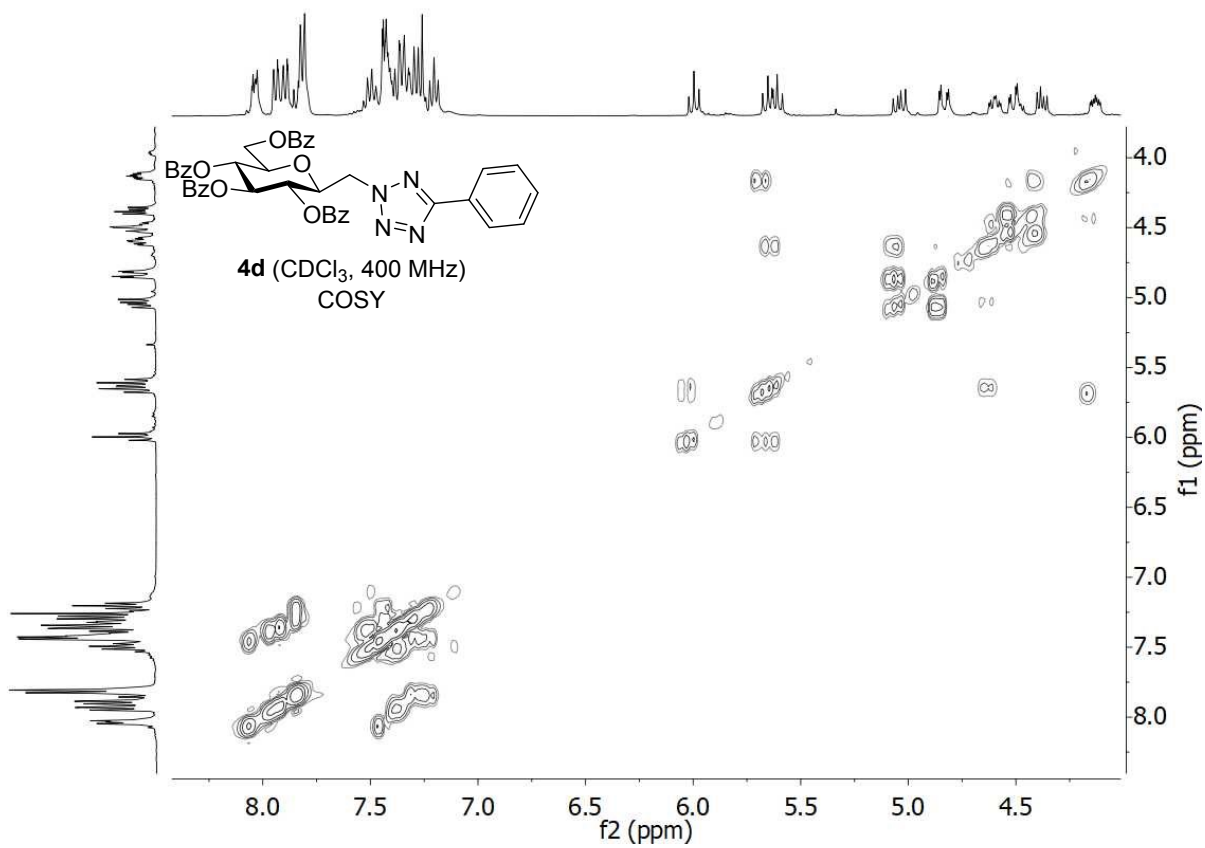
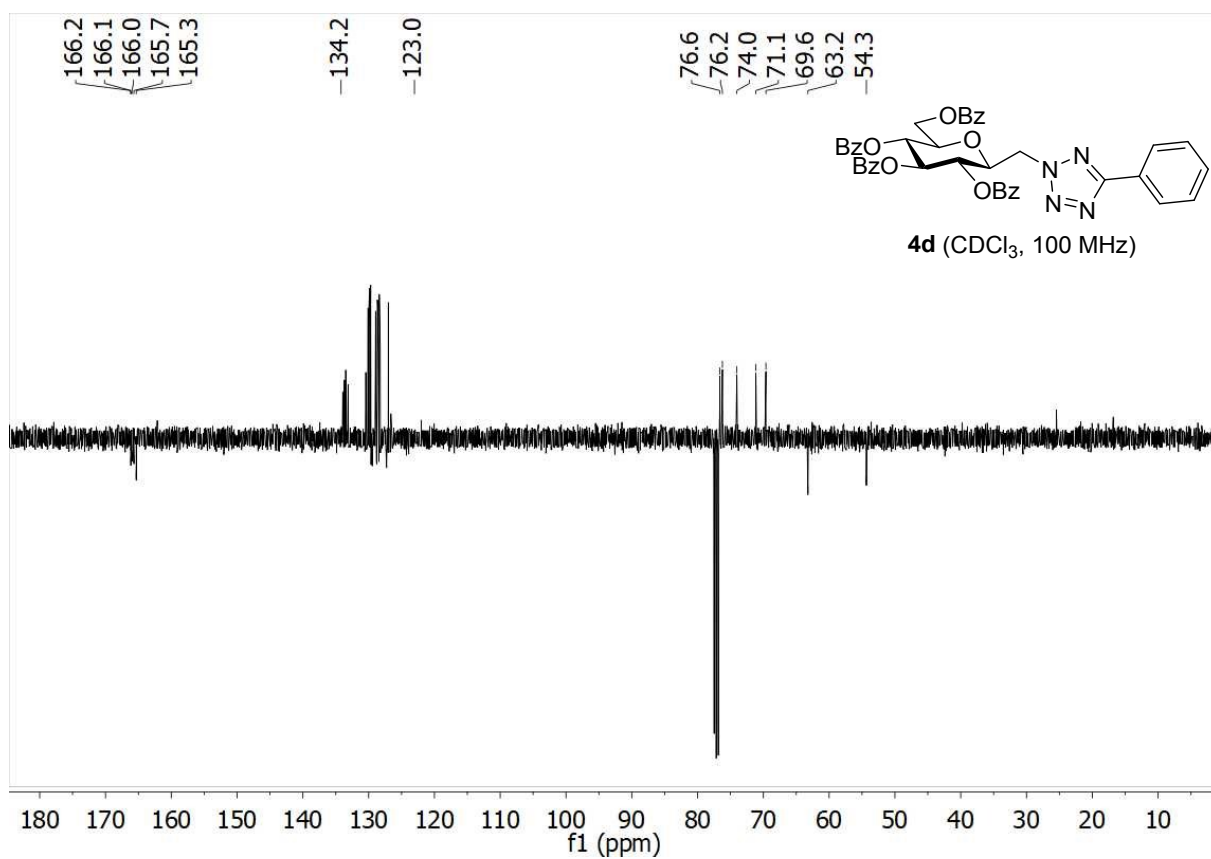
Copies of the NMR spectra

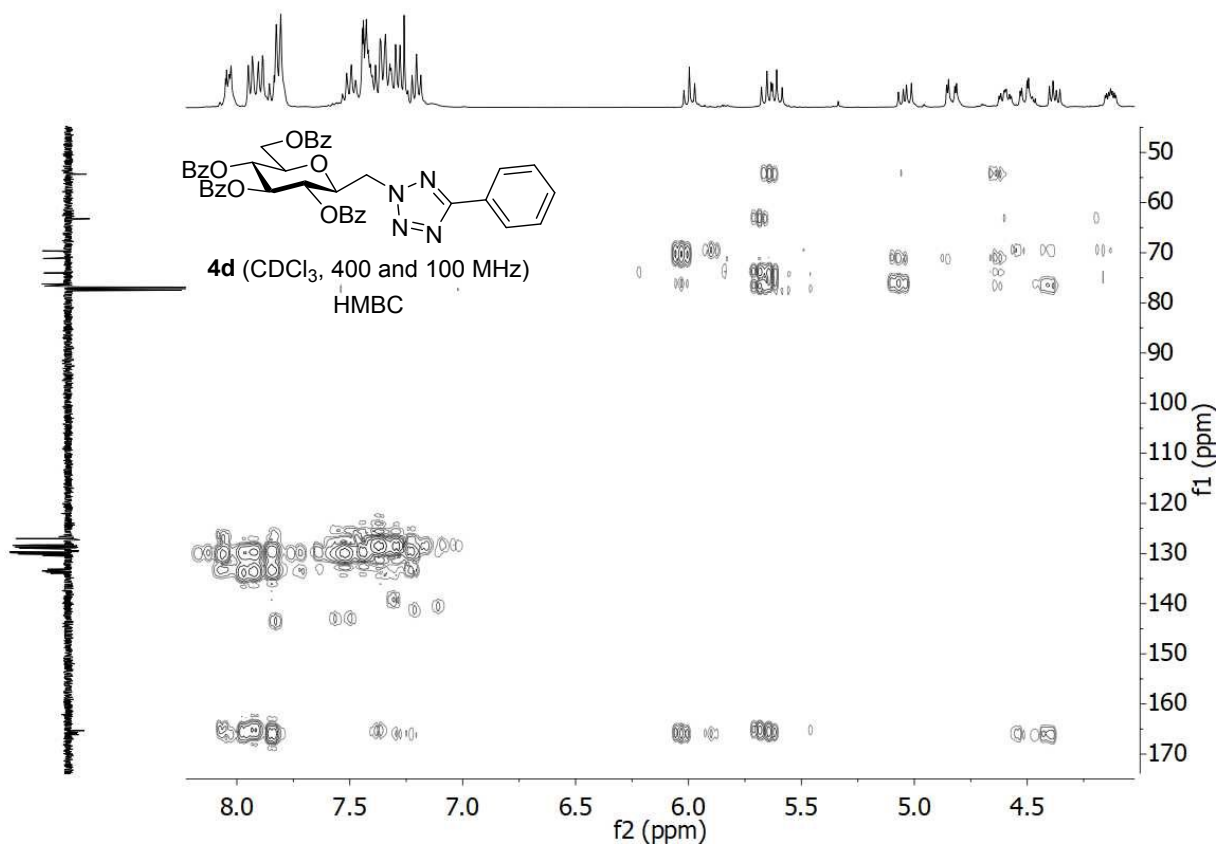
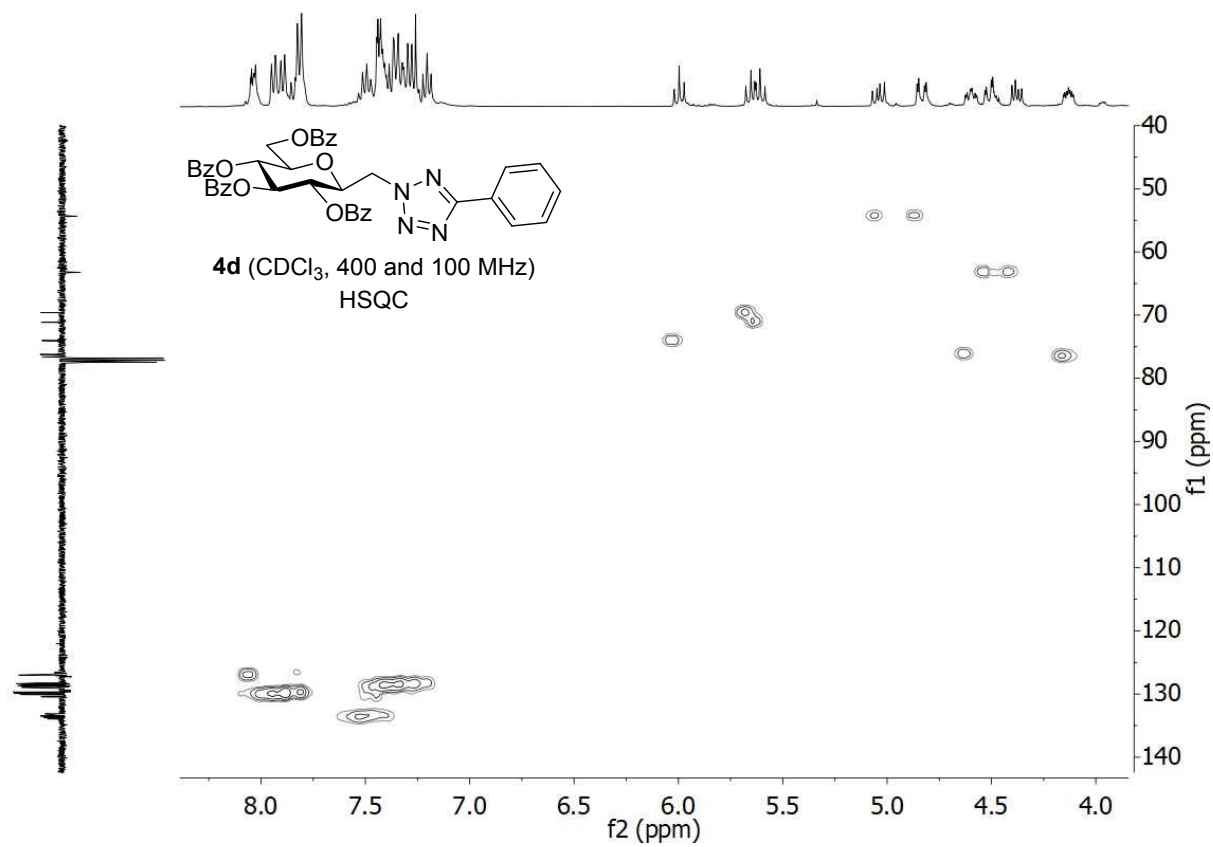


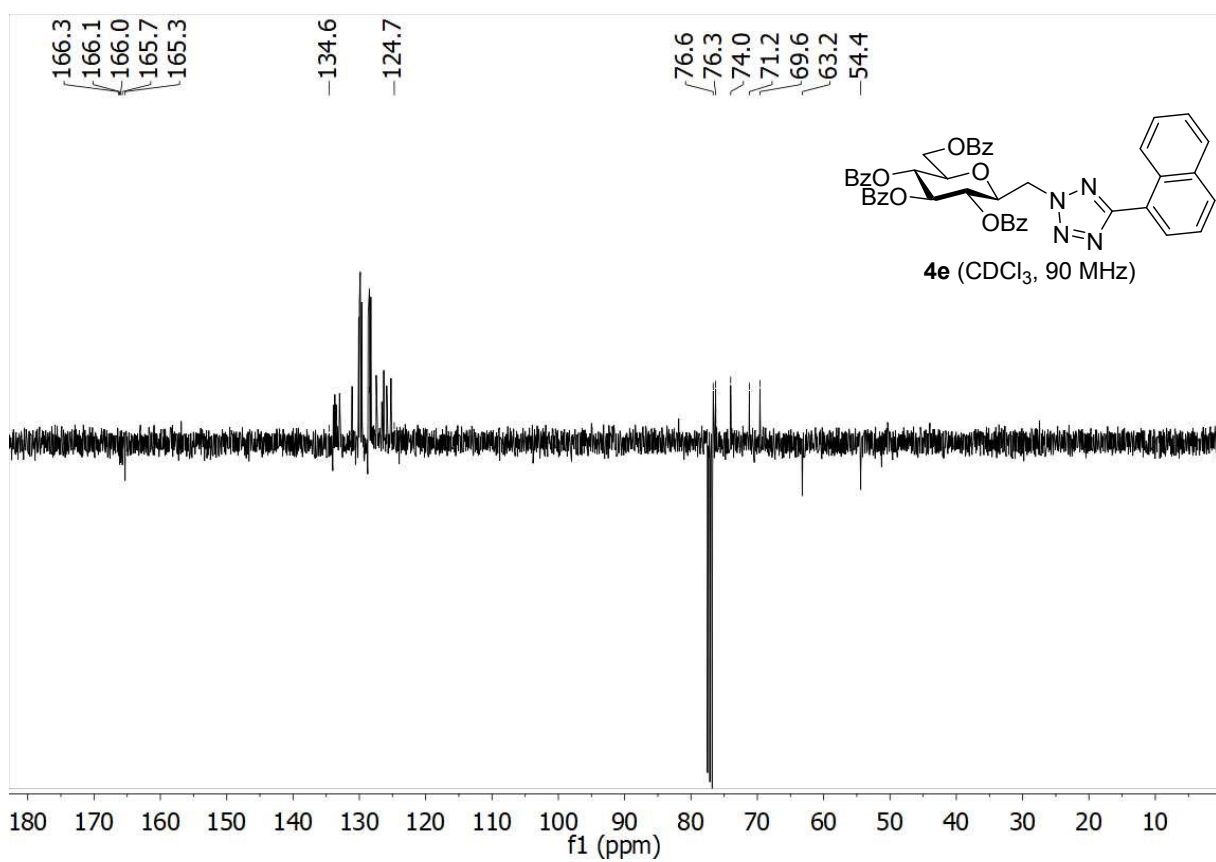
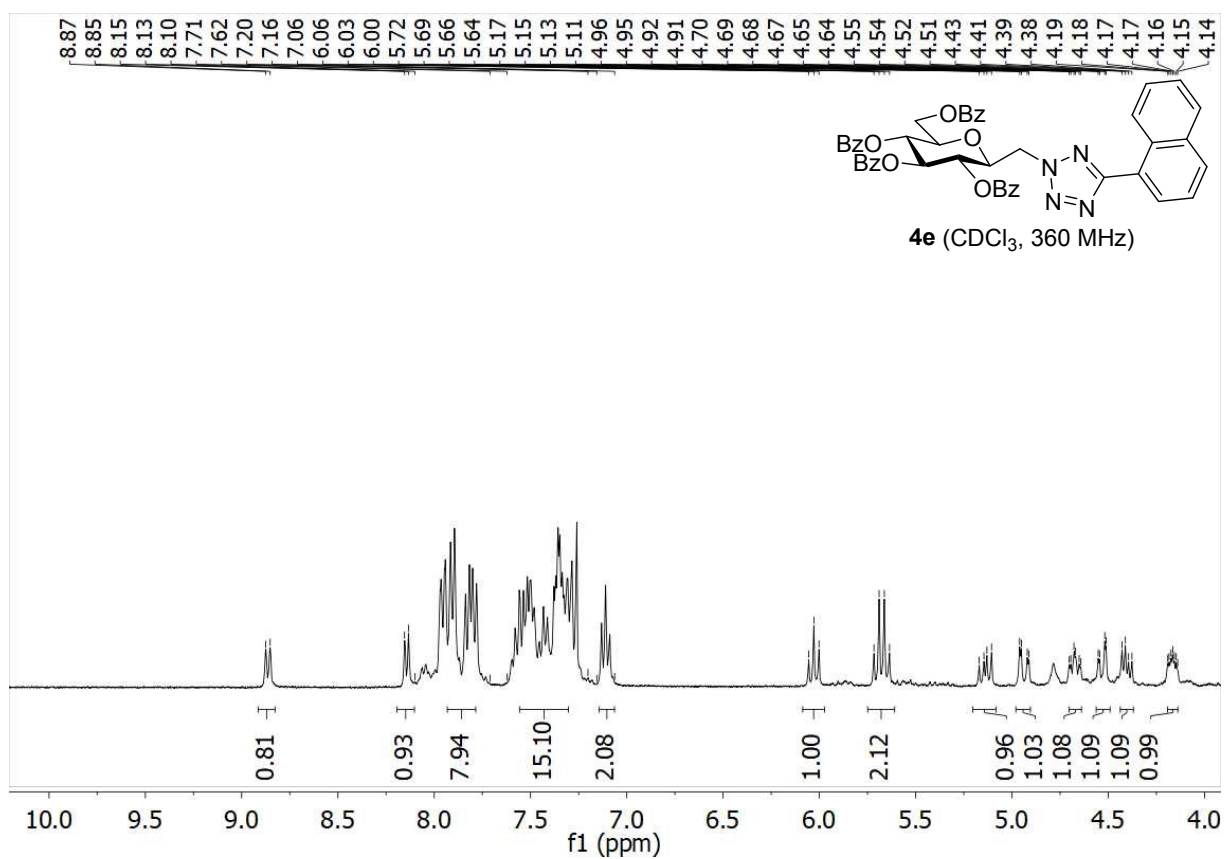


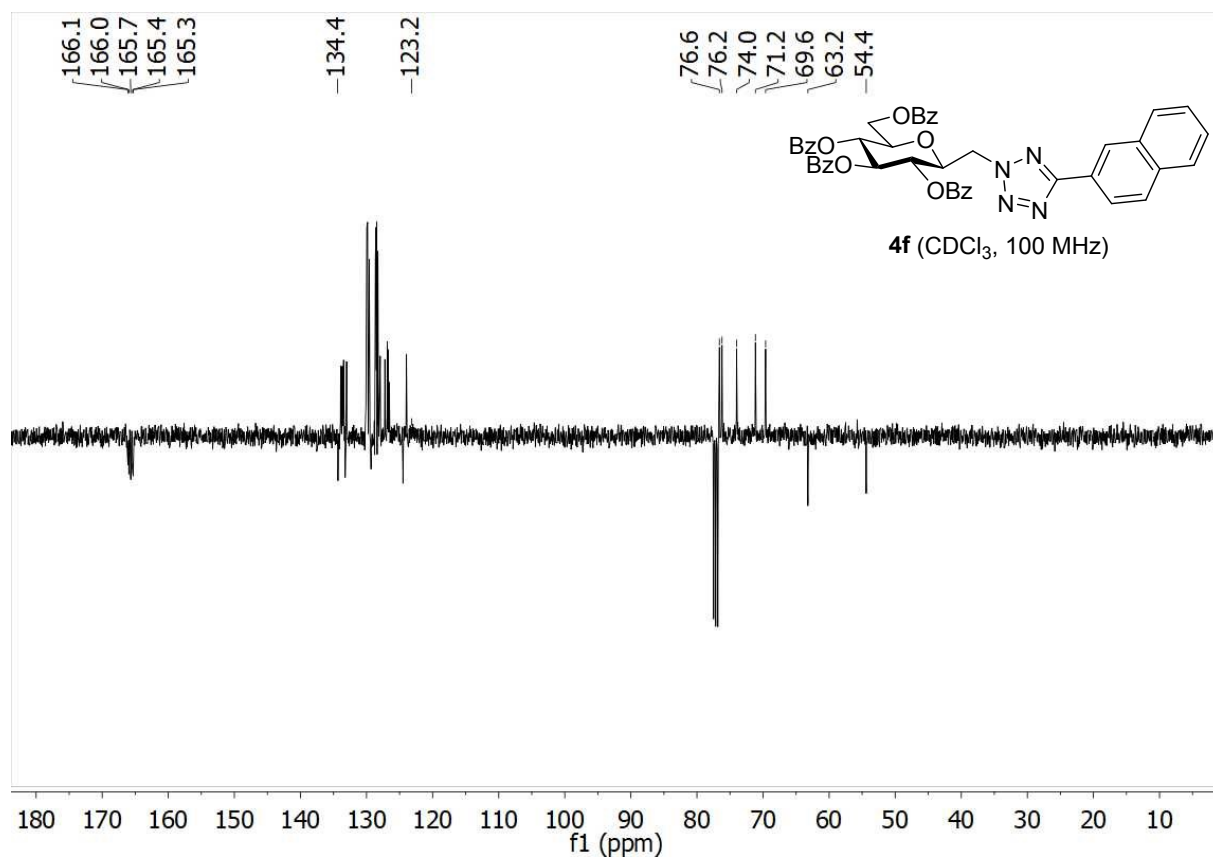
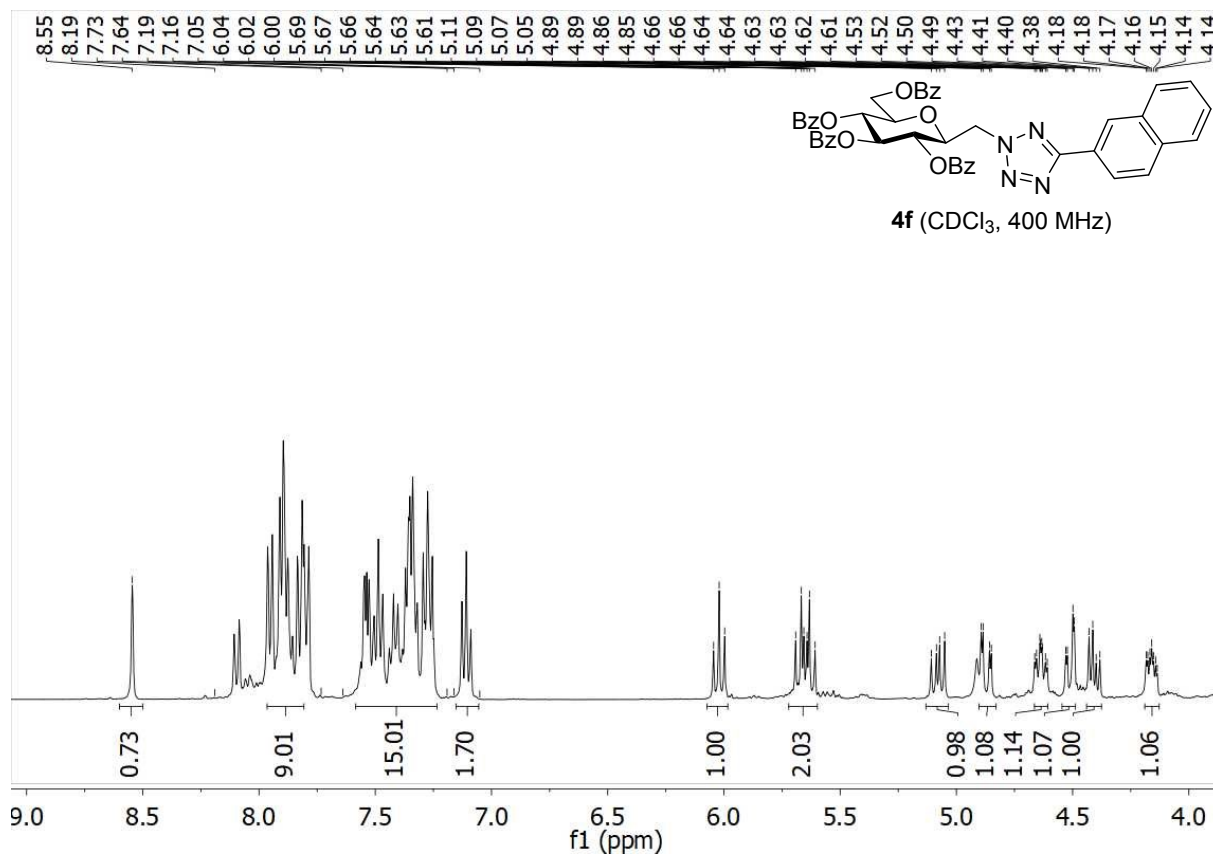


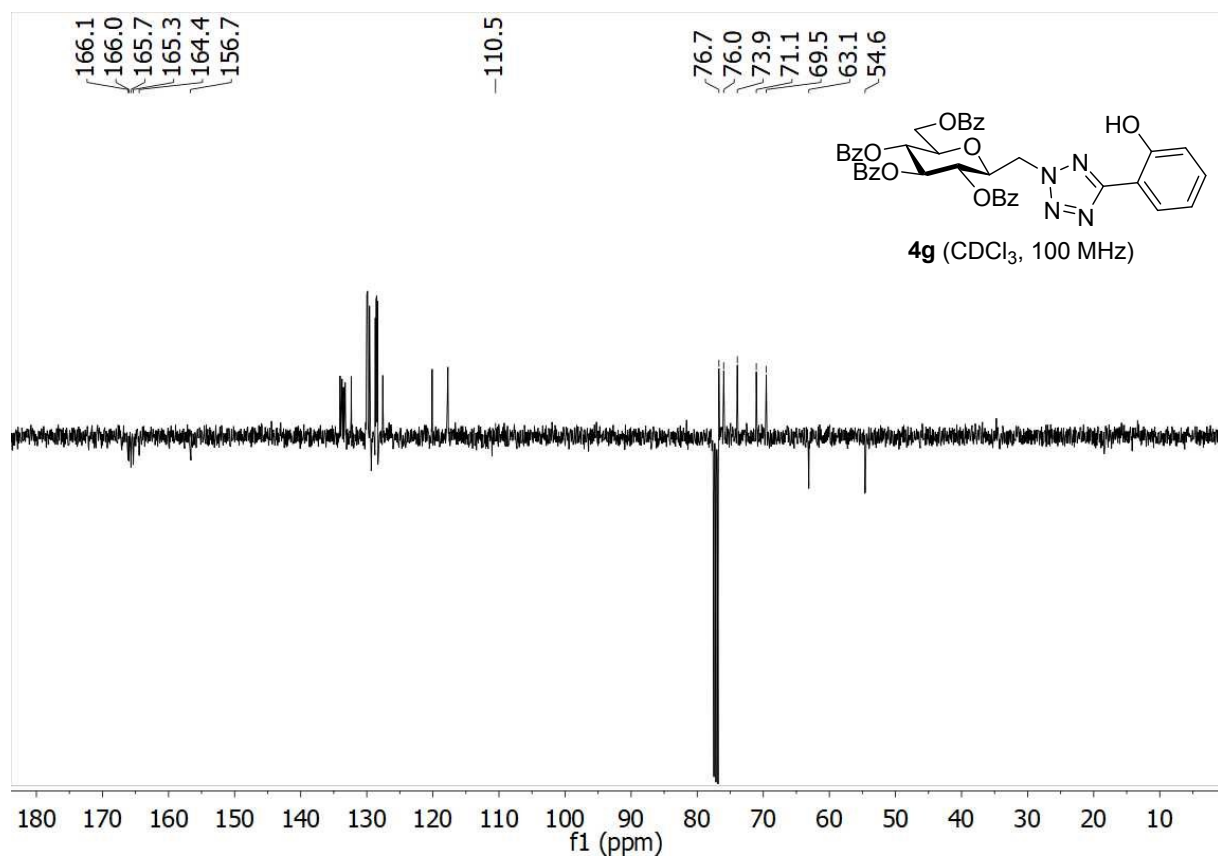
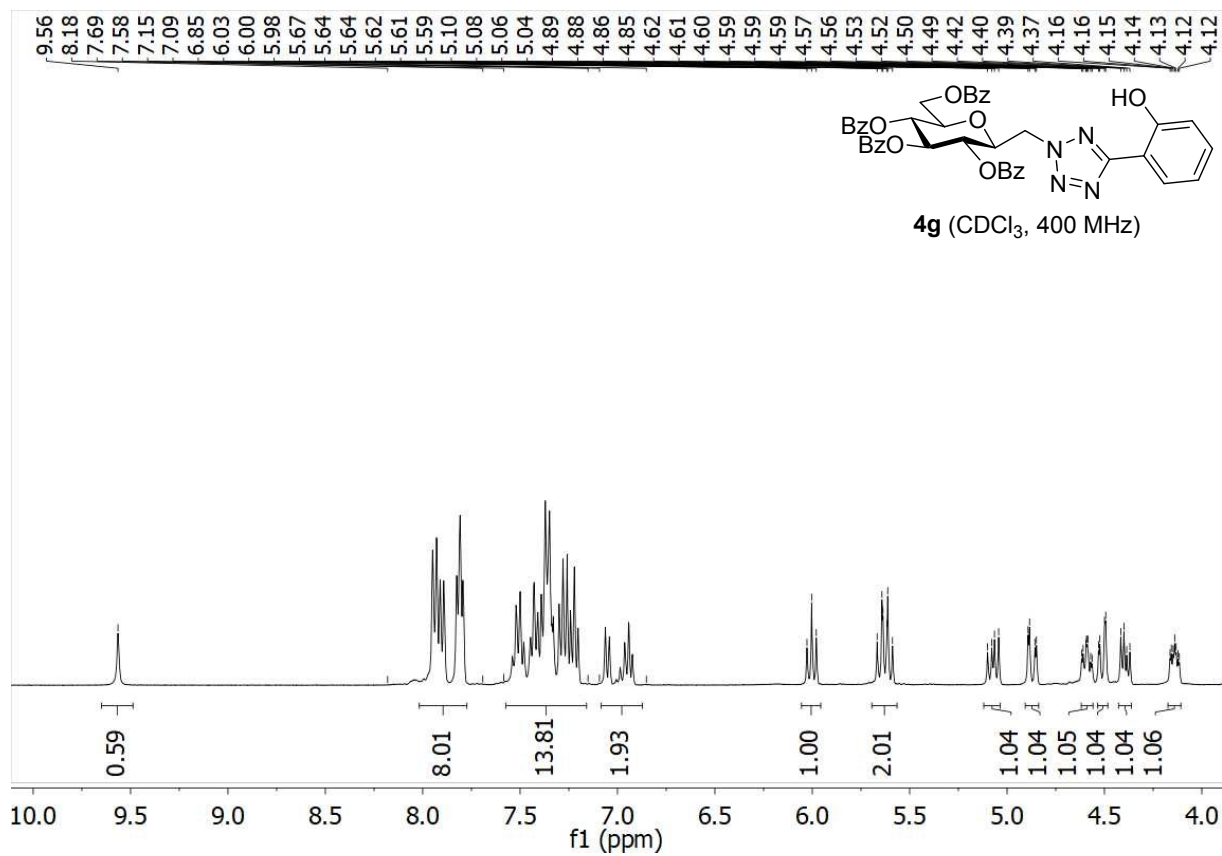


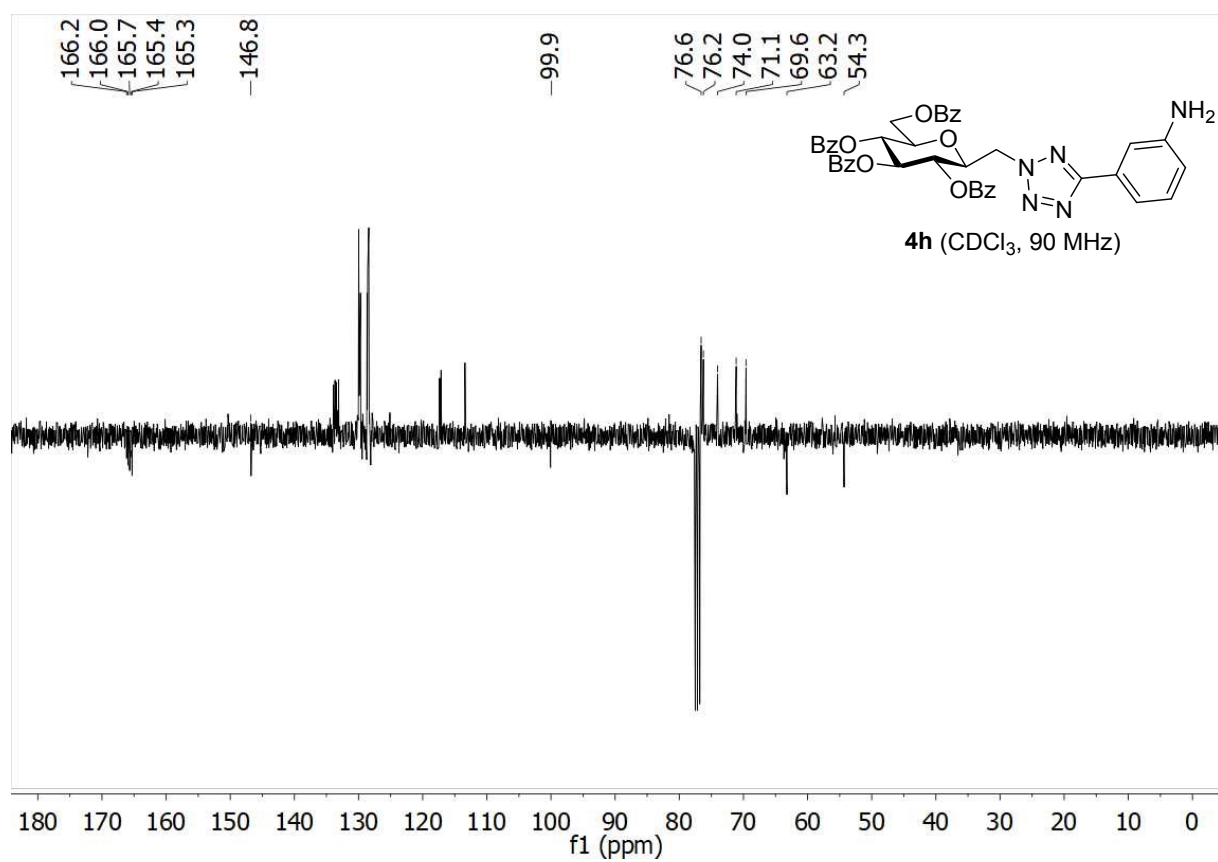
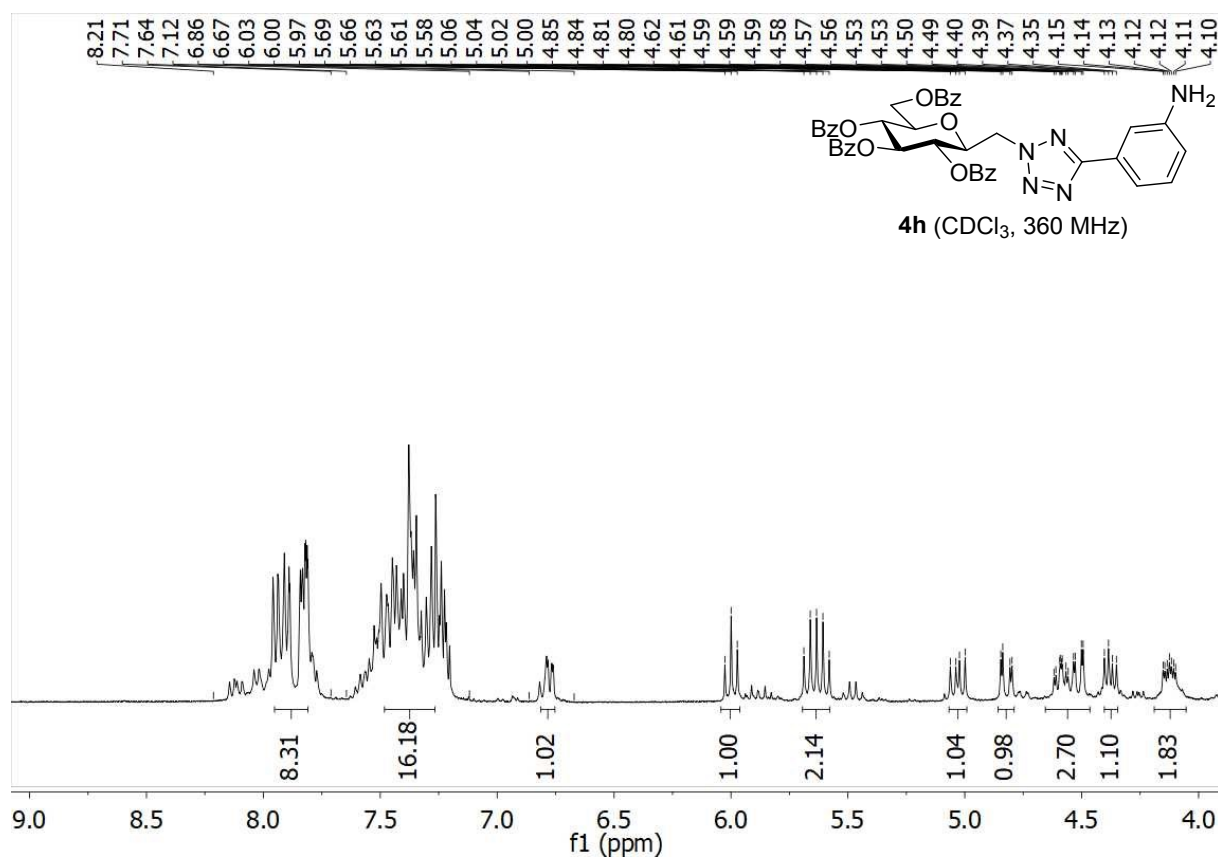


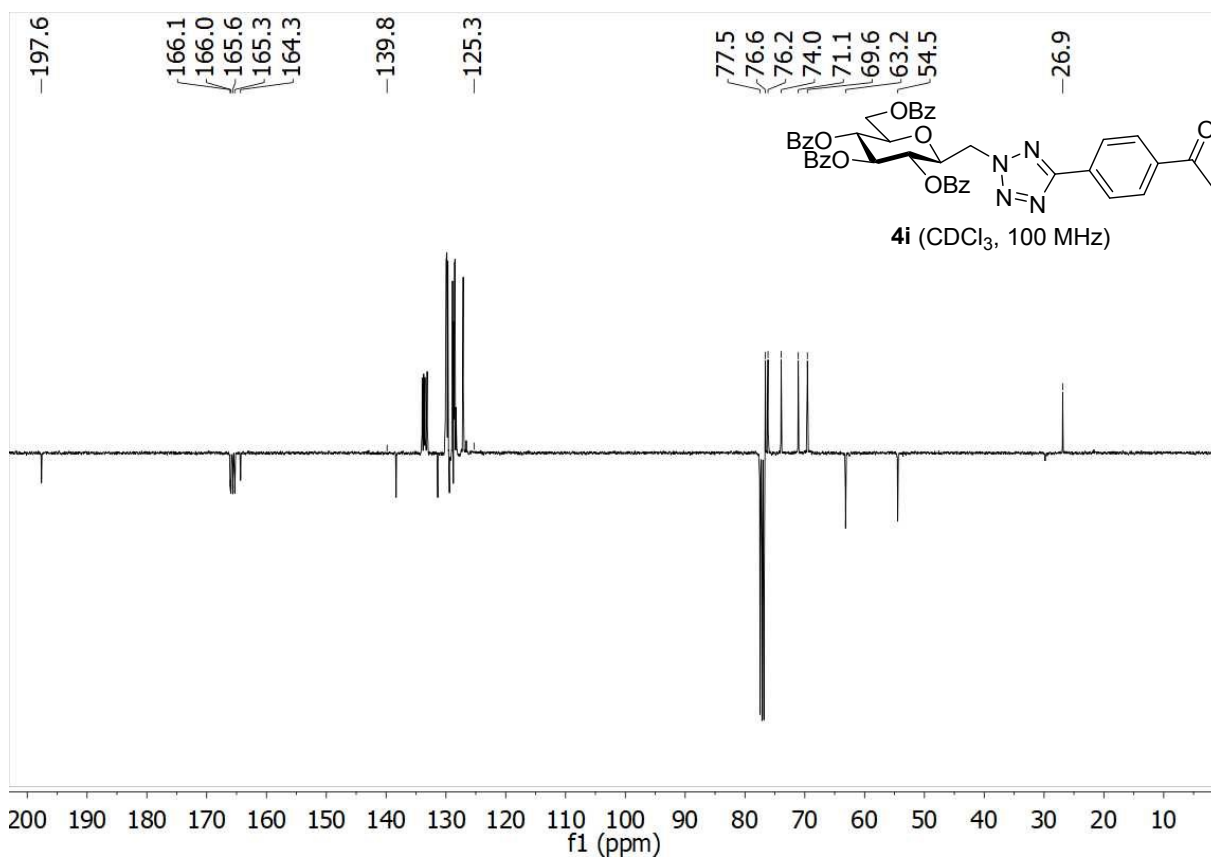
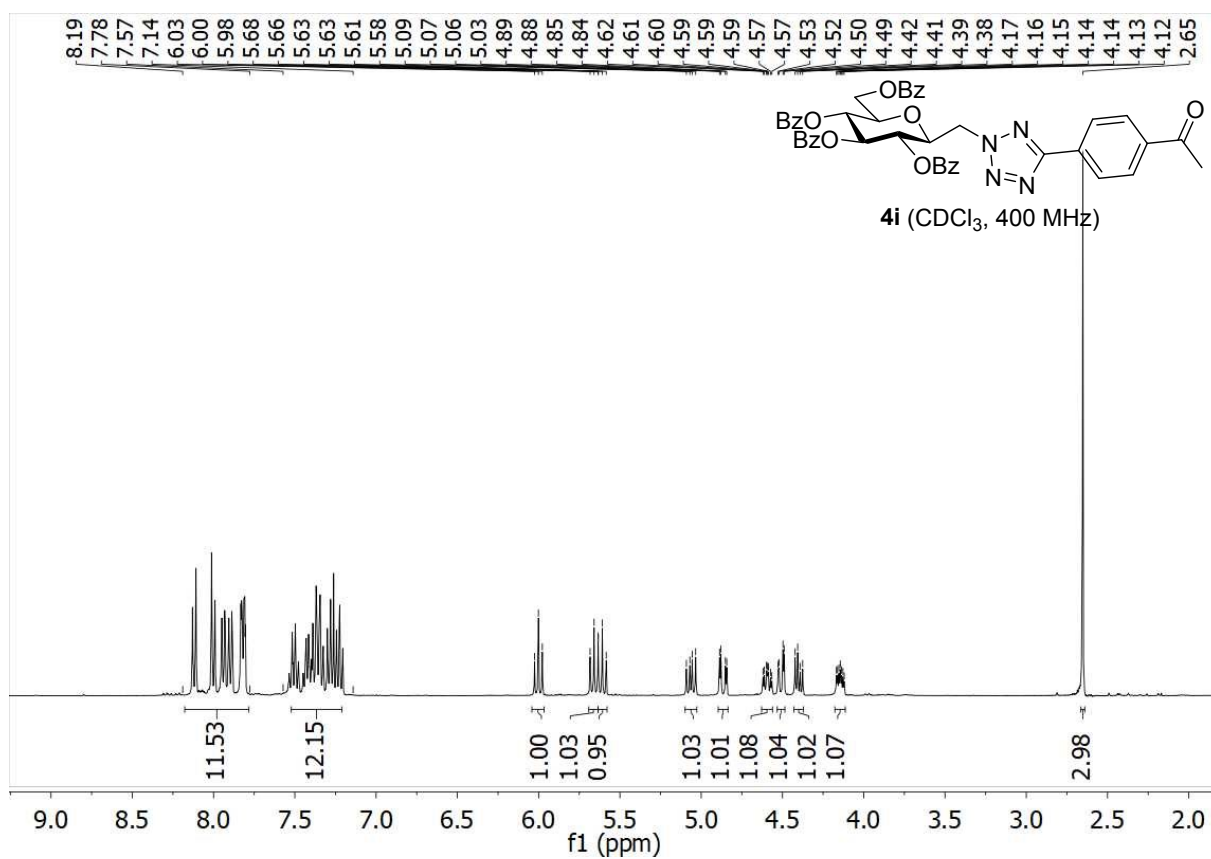


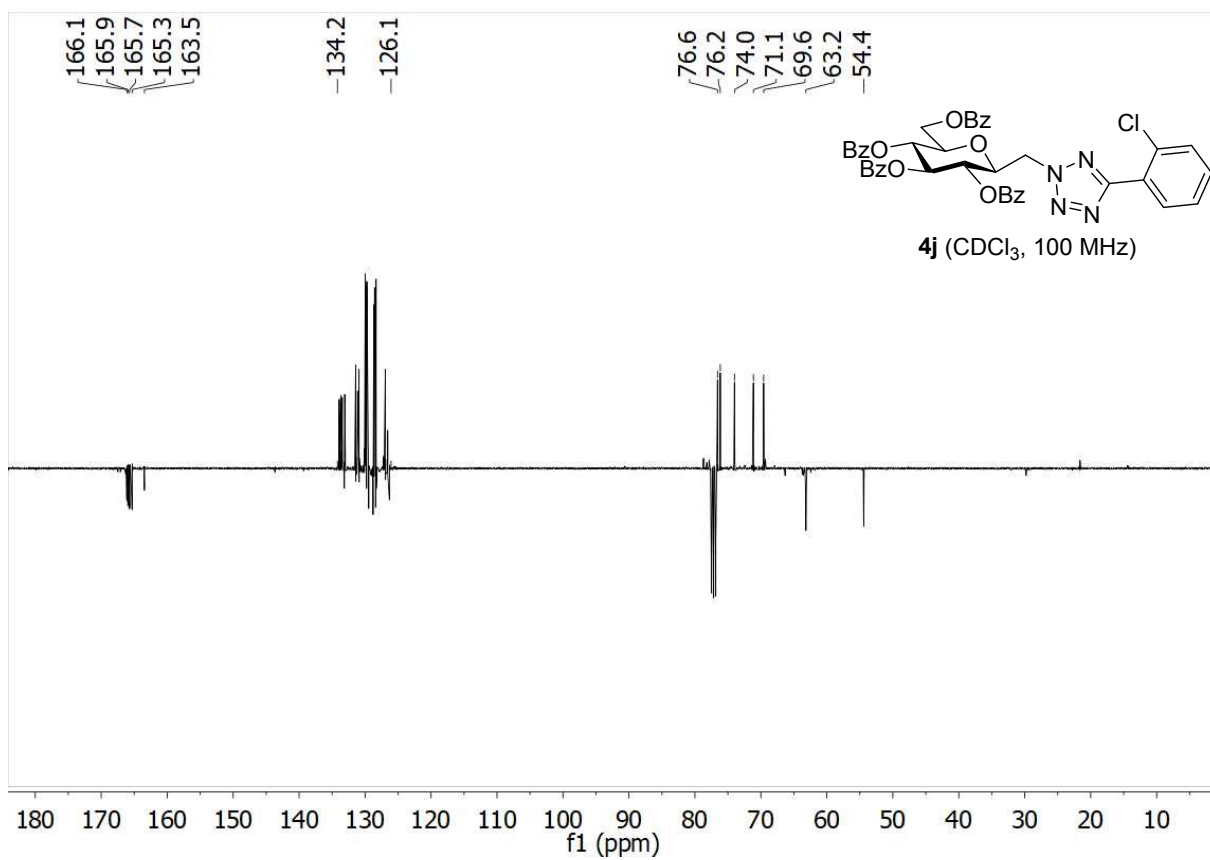
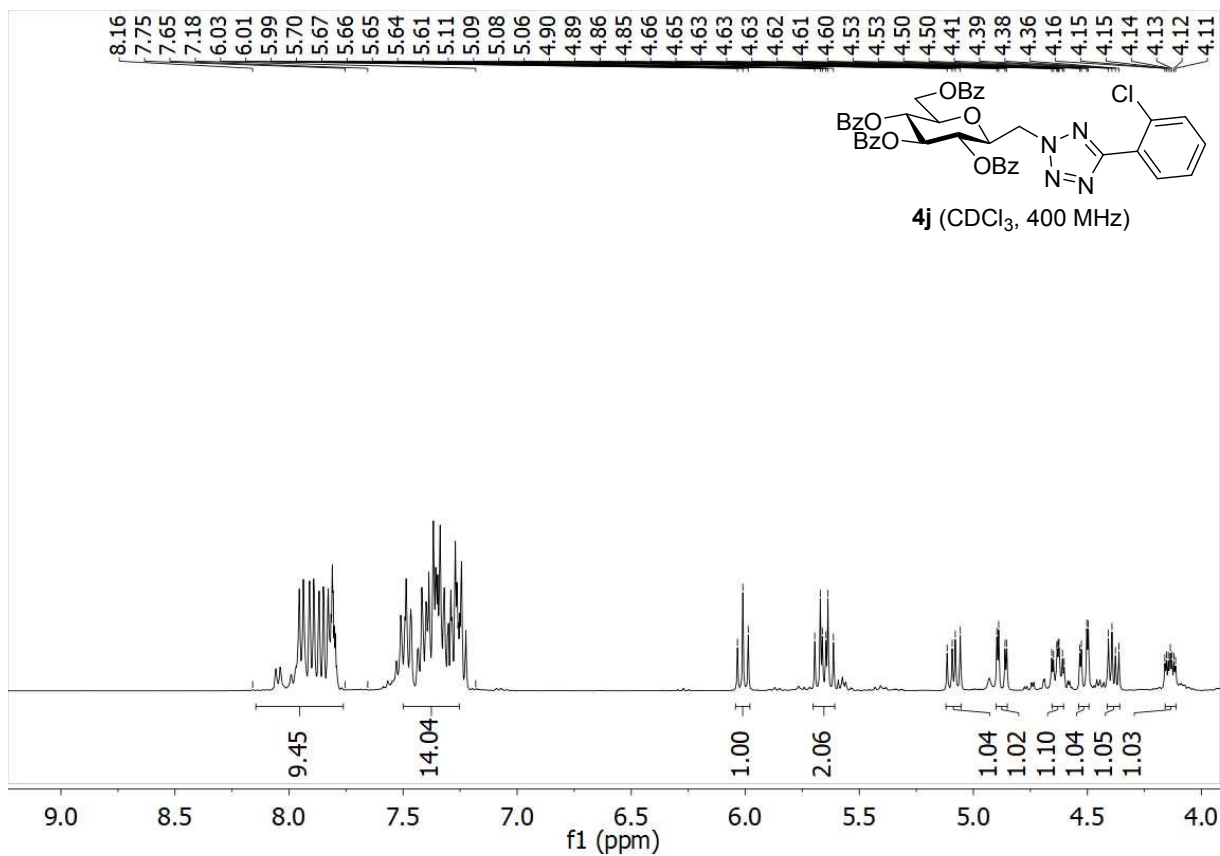


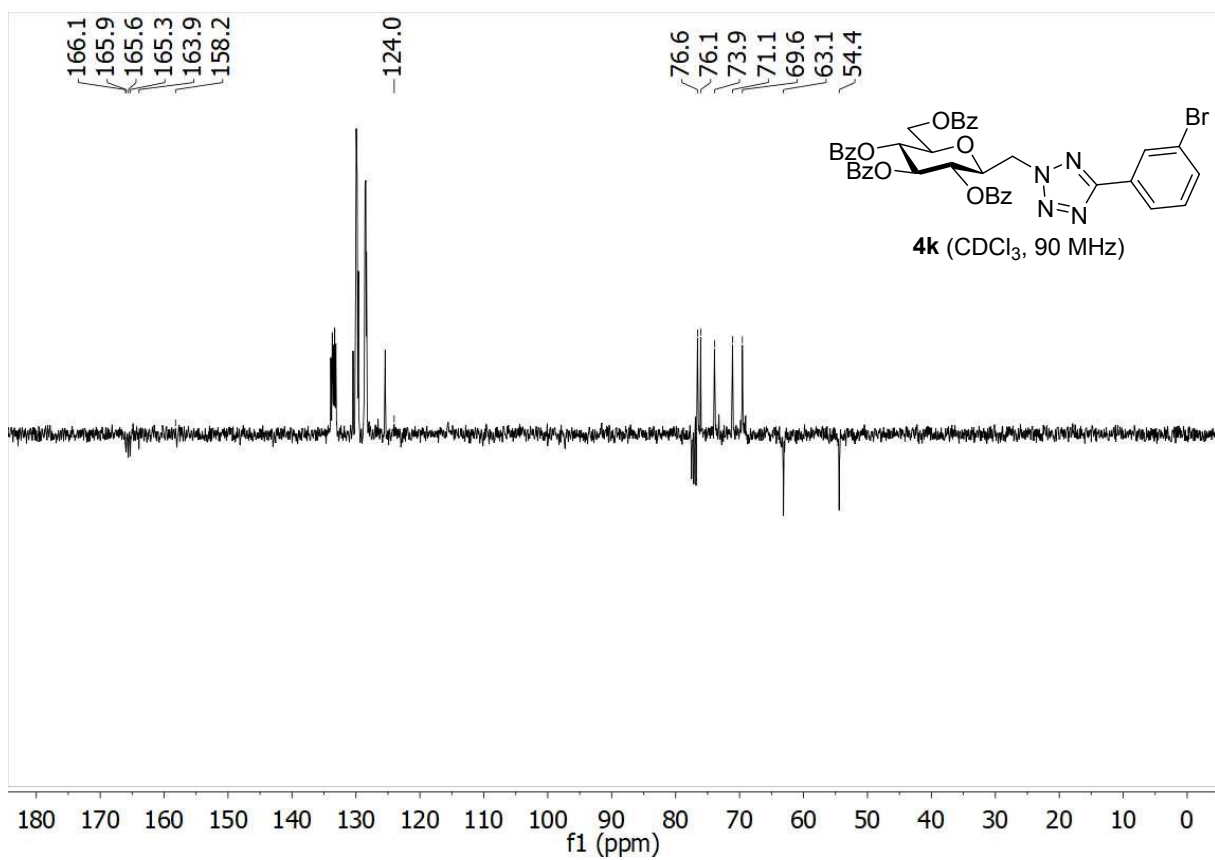
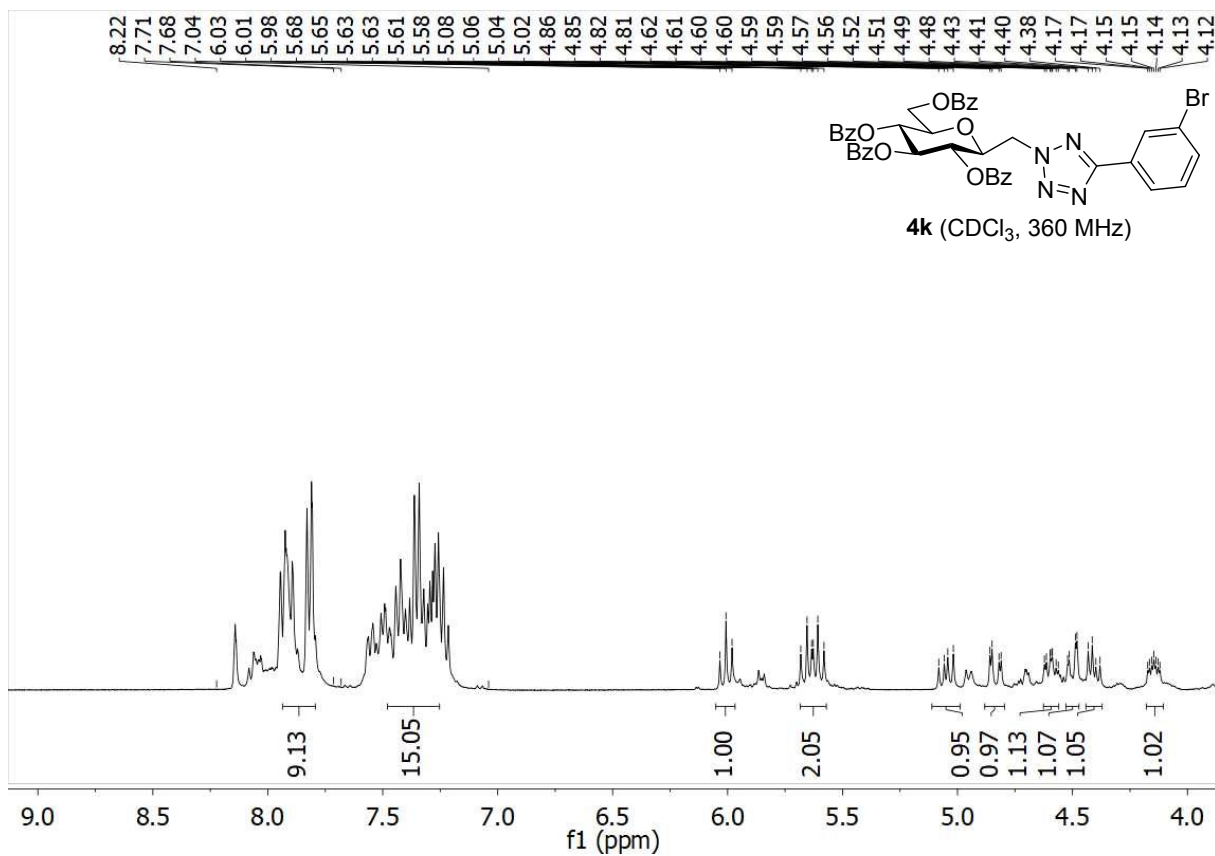


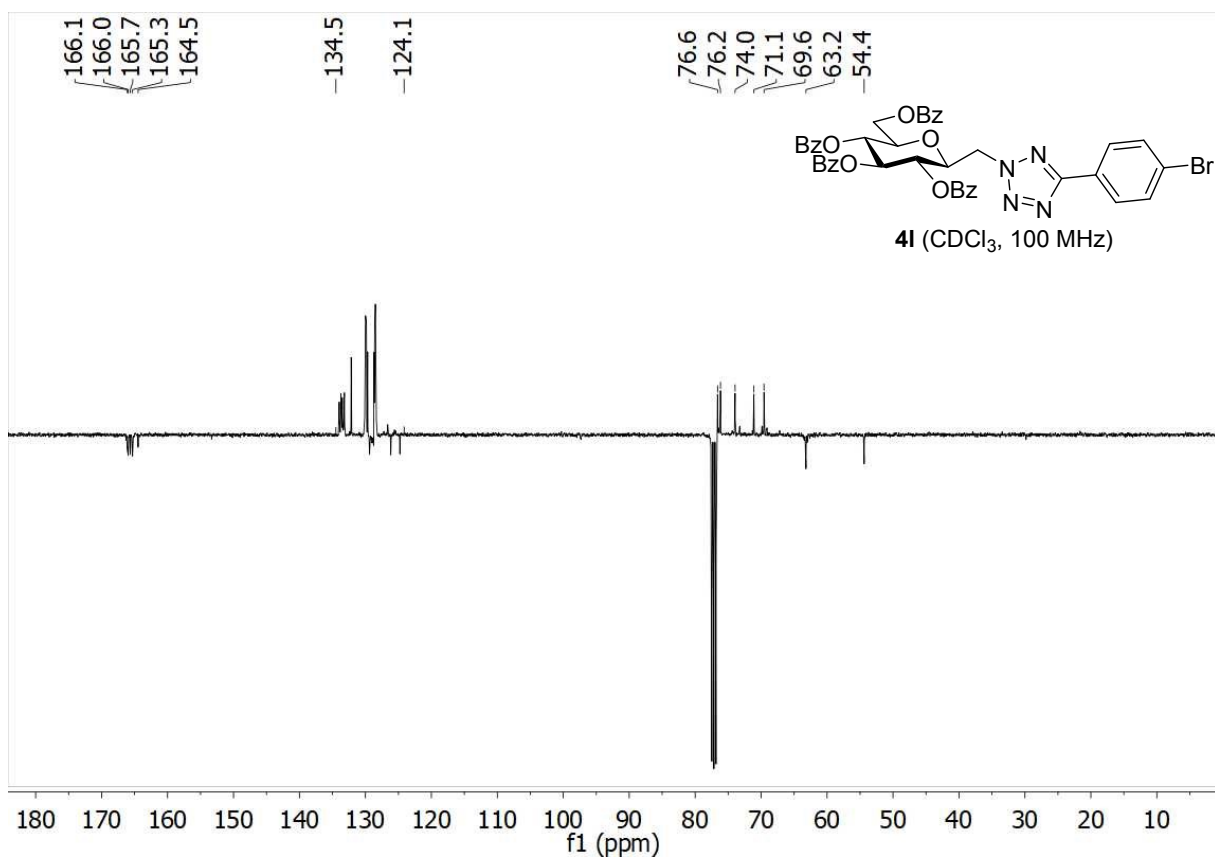
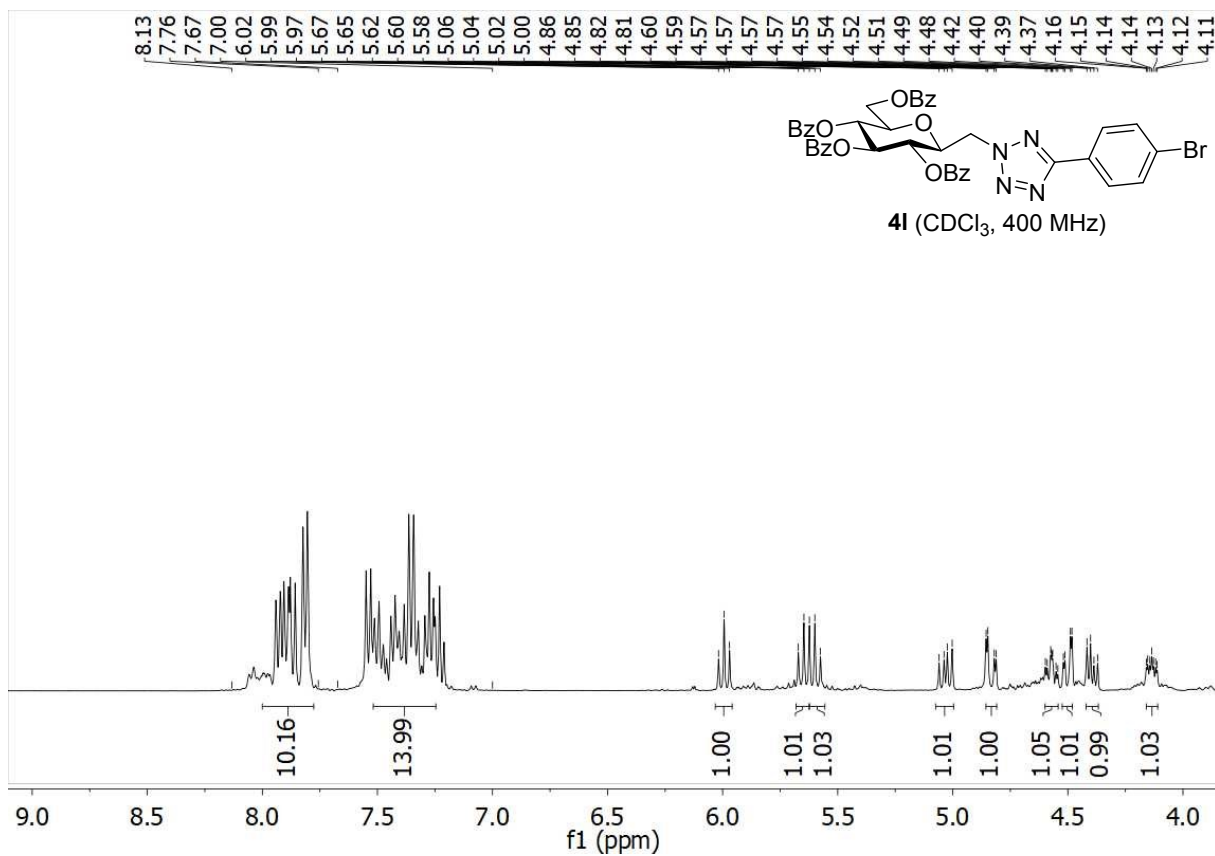


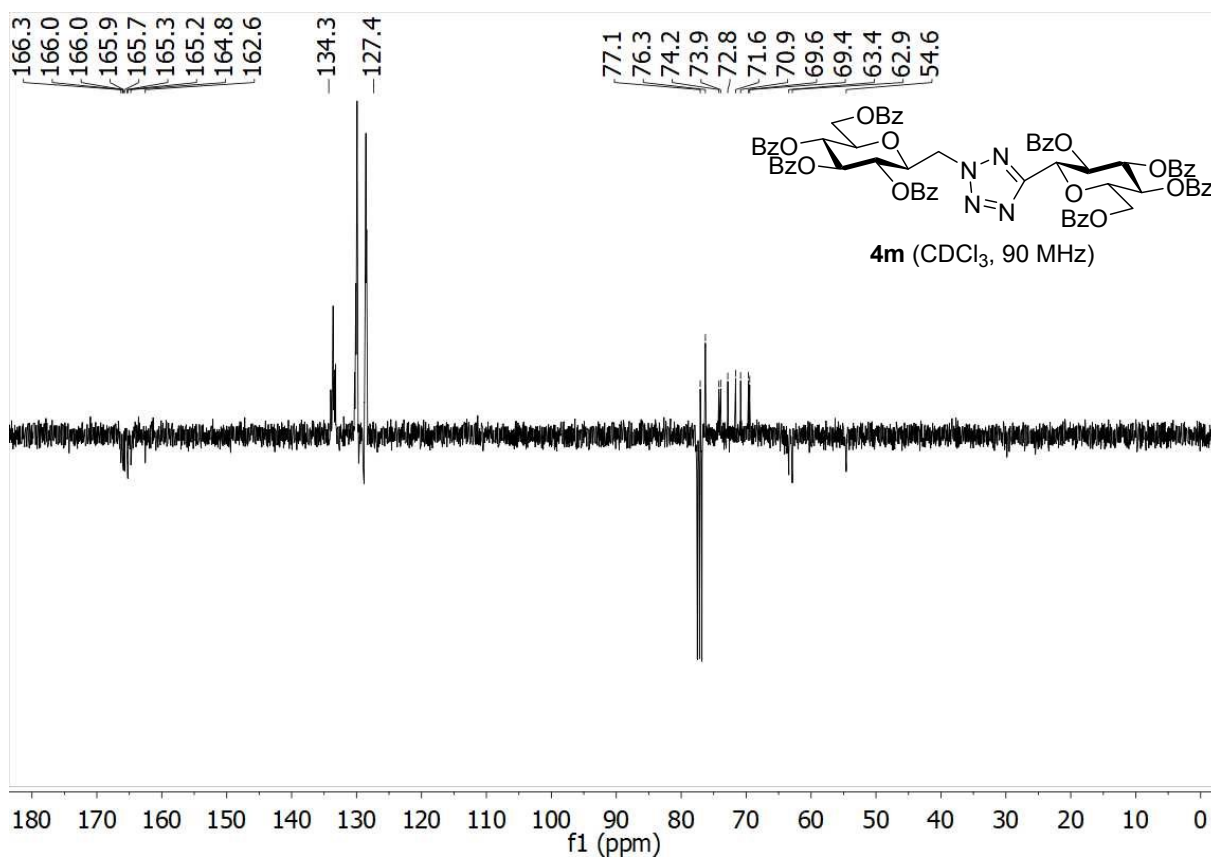
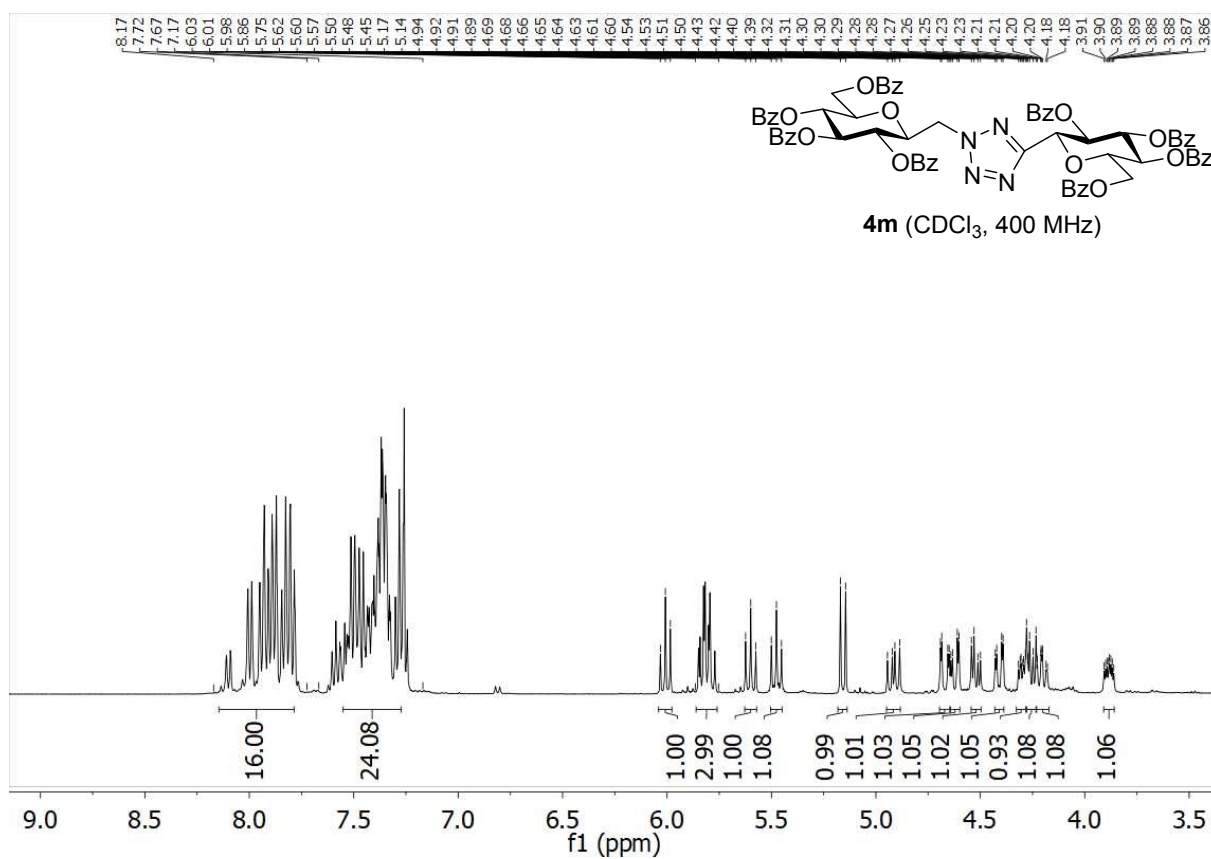


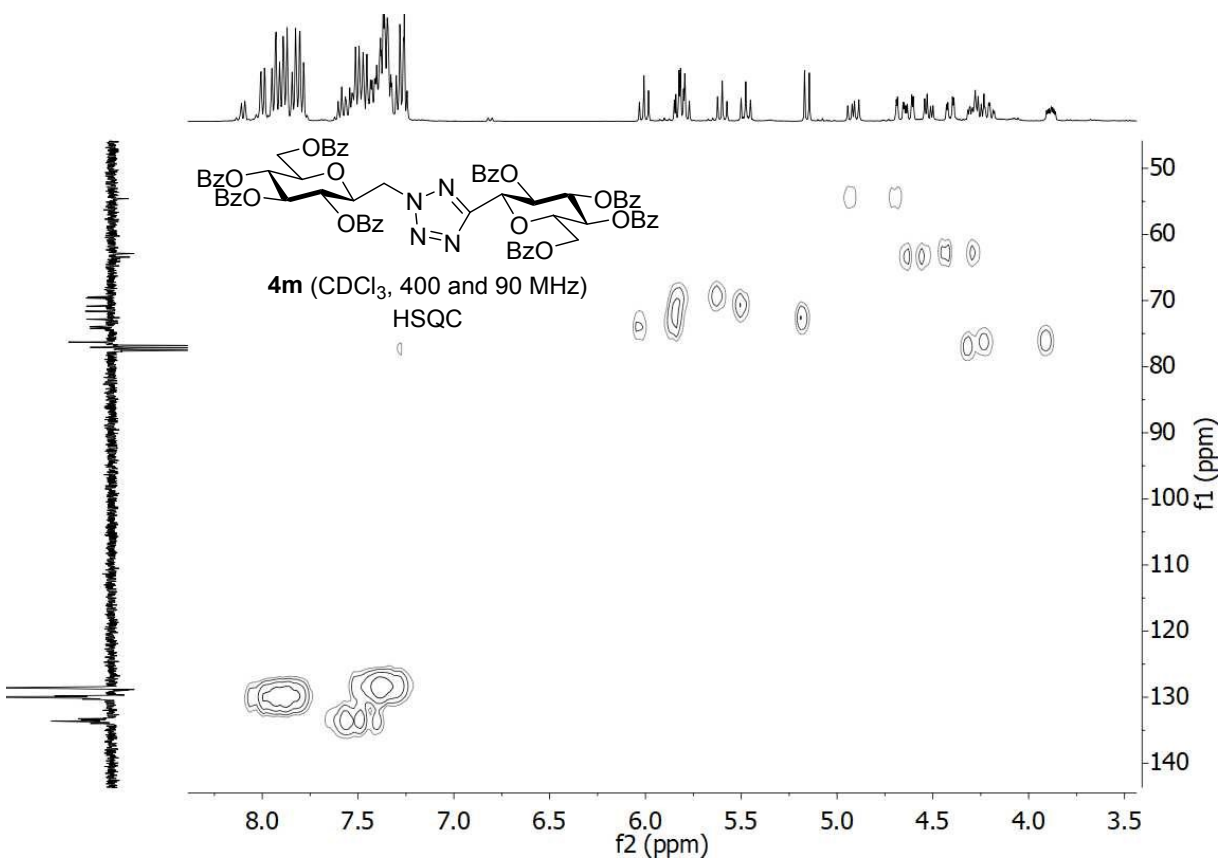
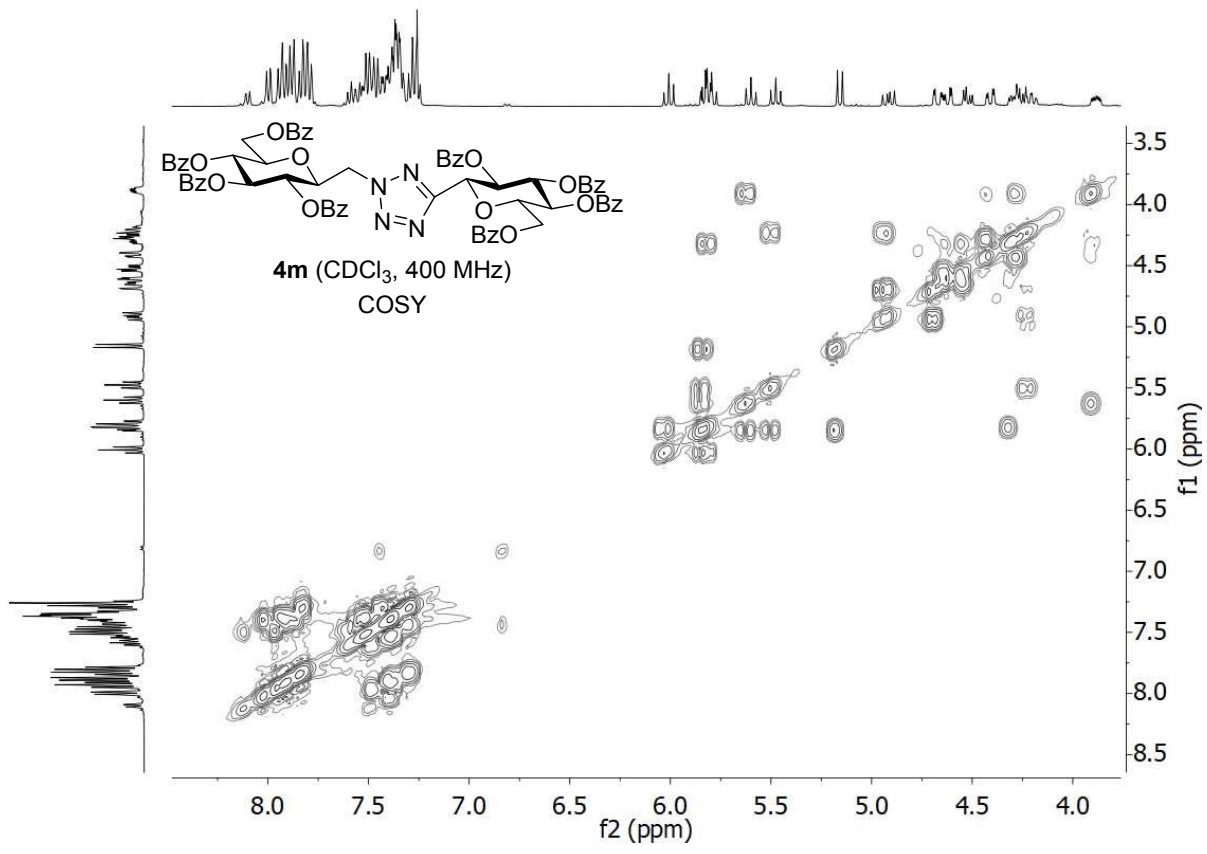


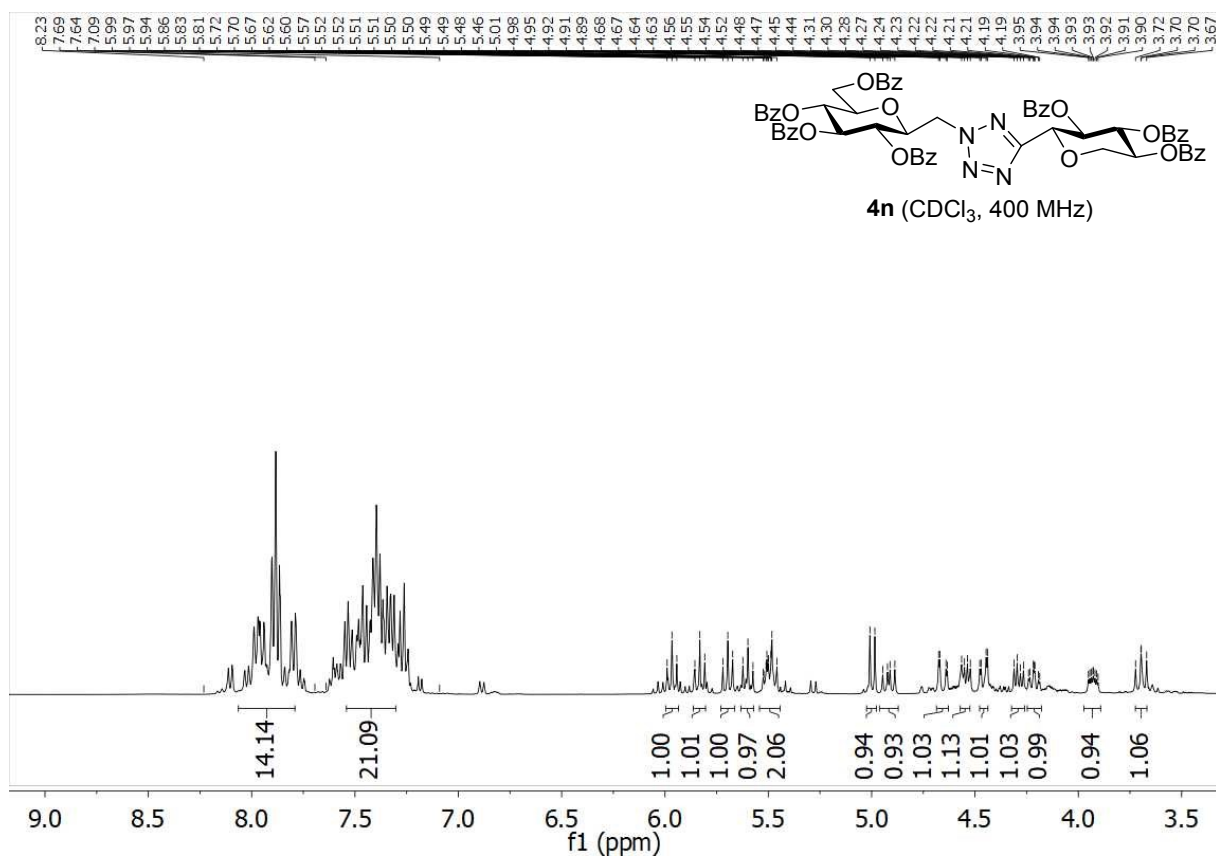
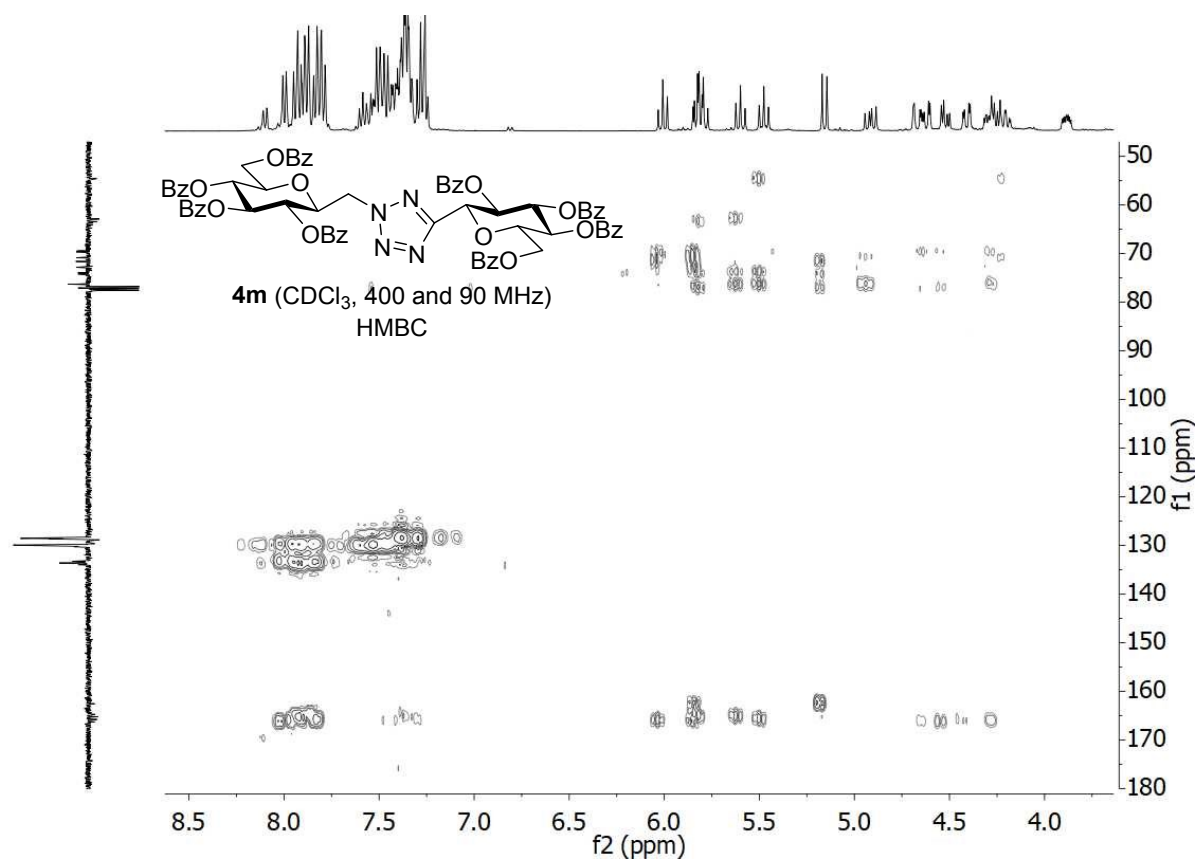


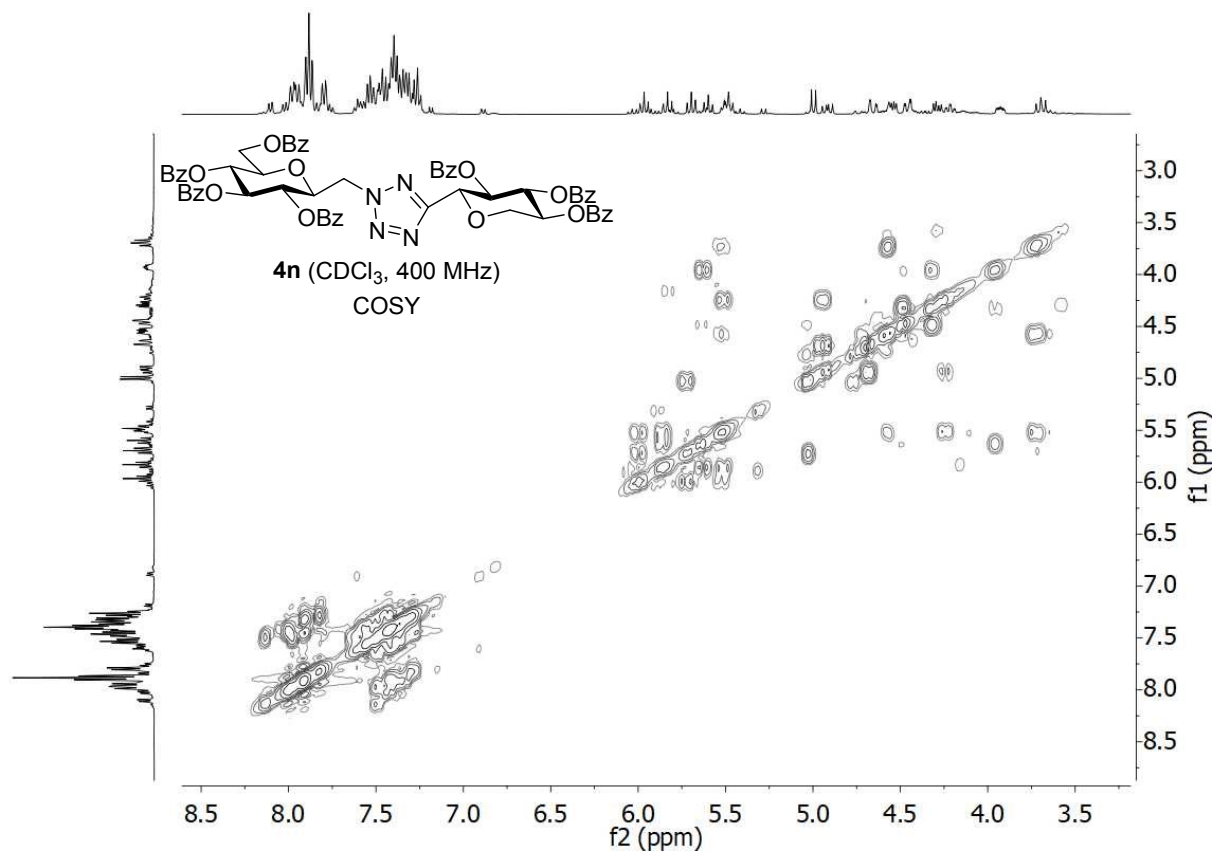
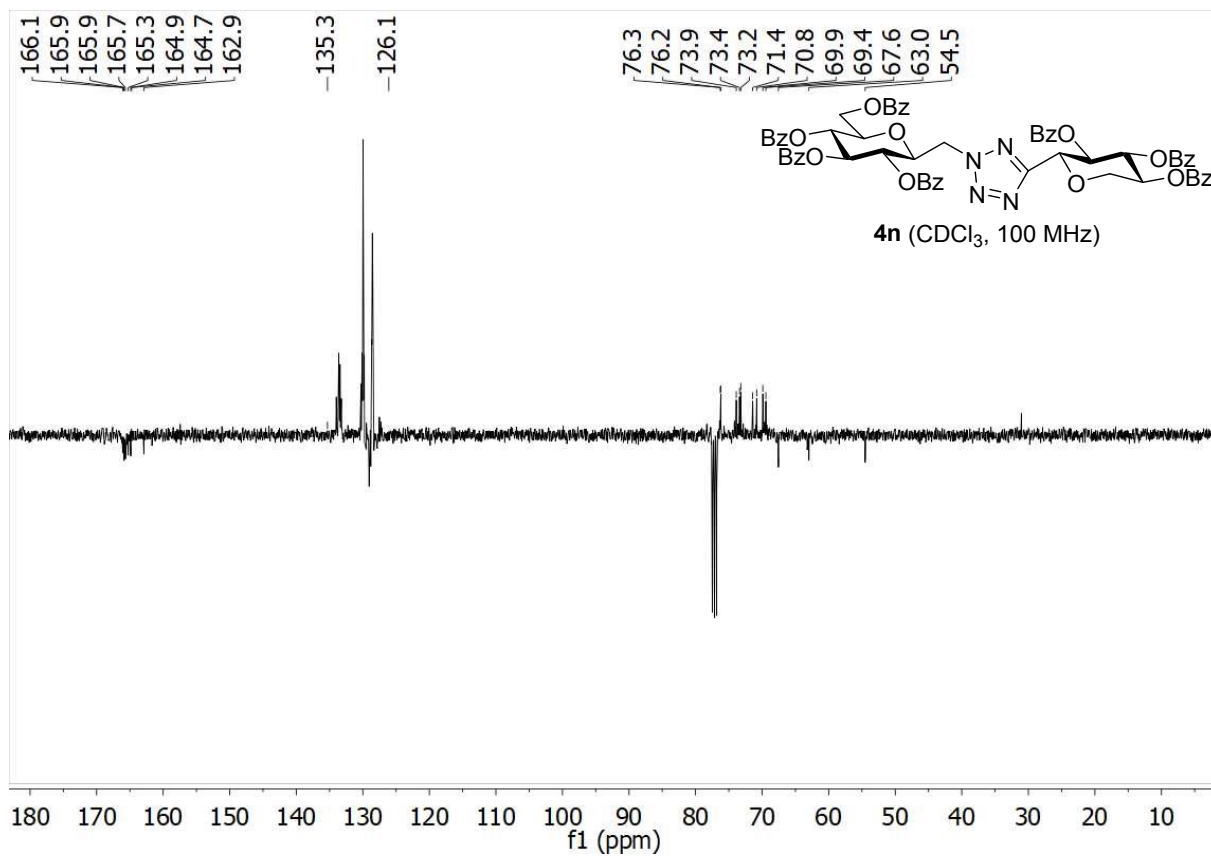


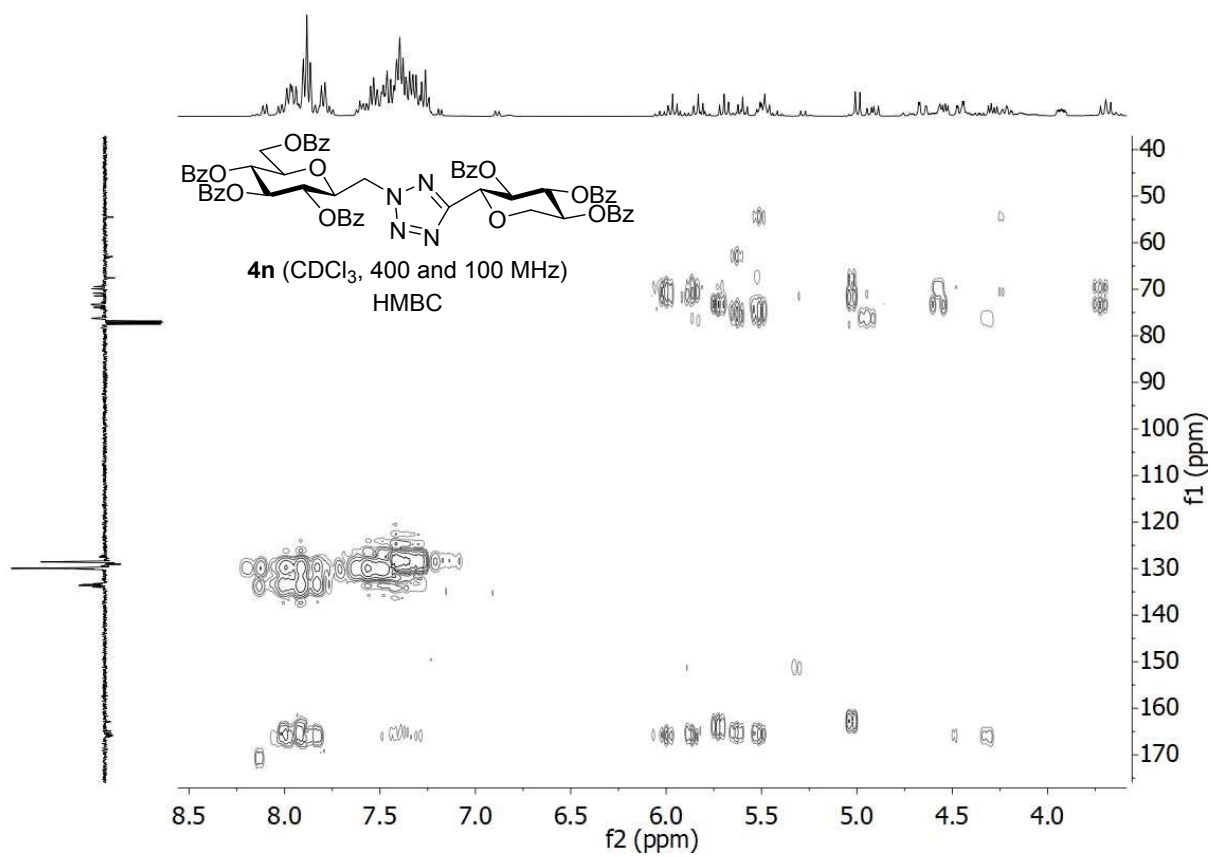
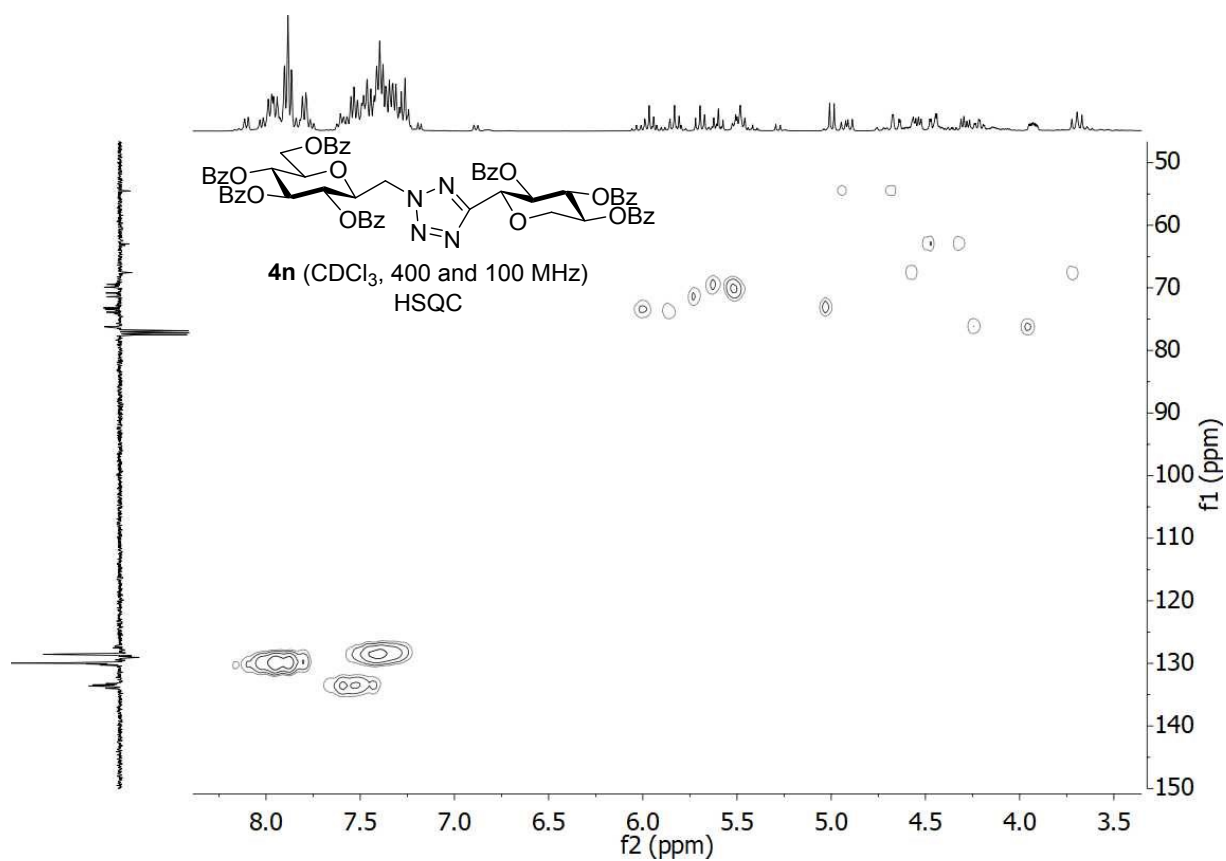


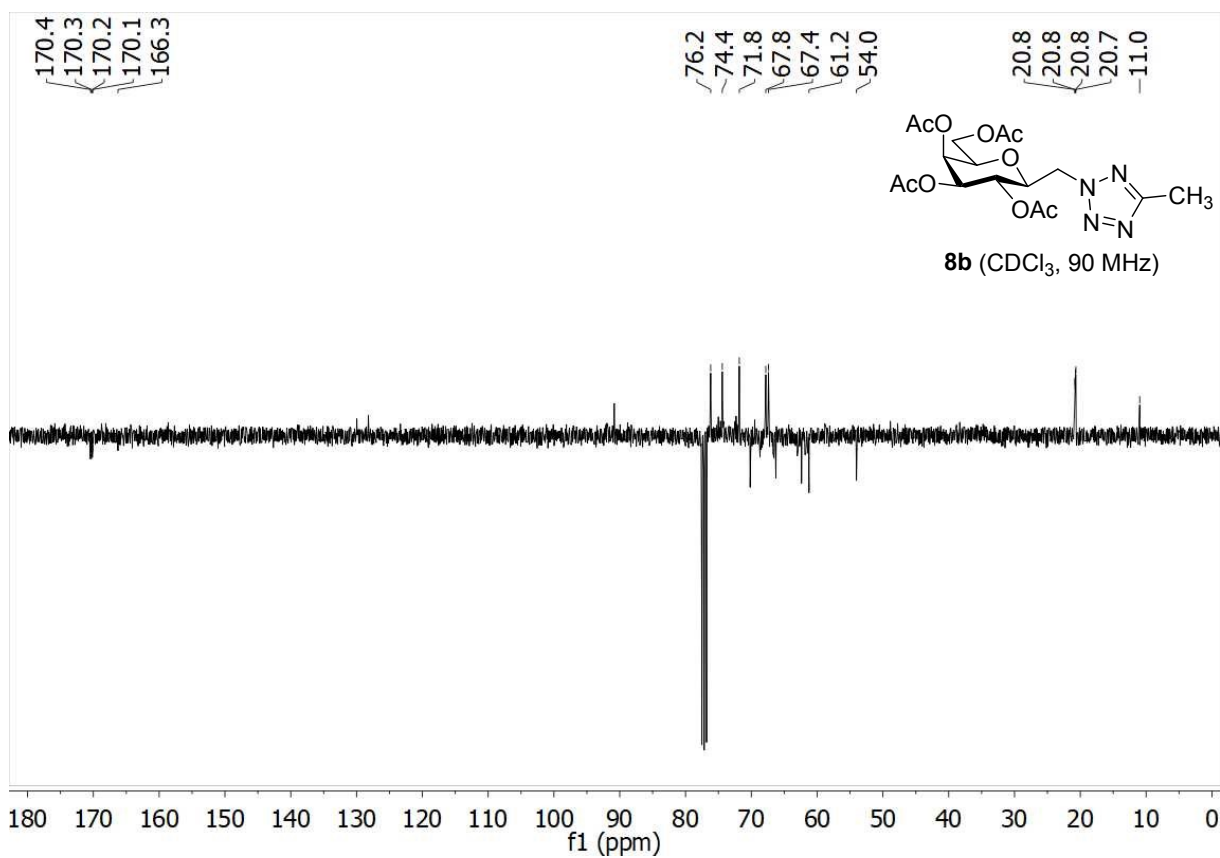
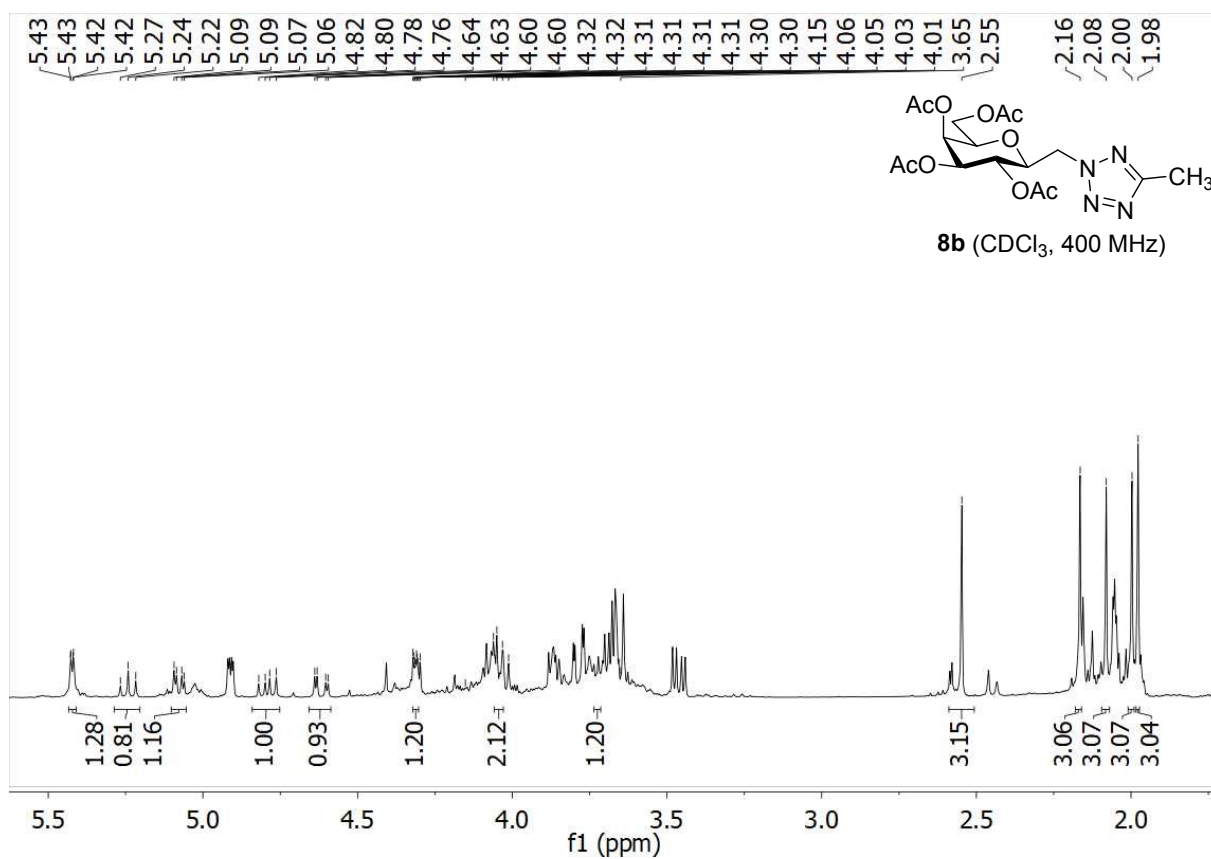


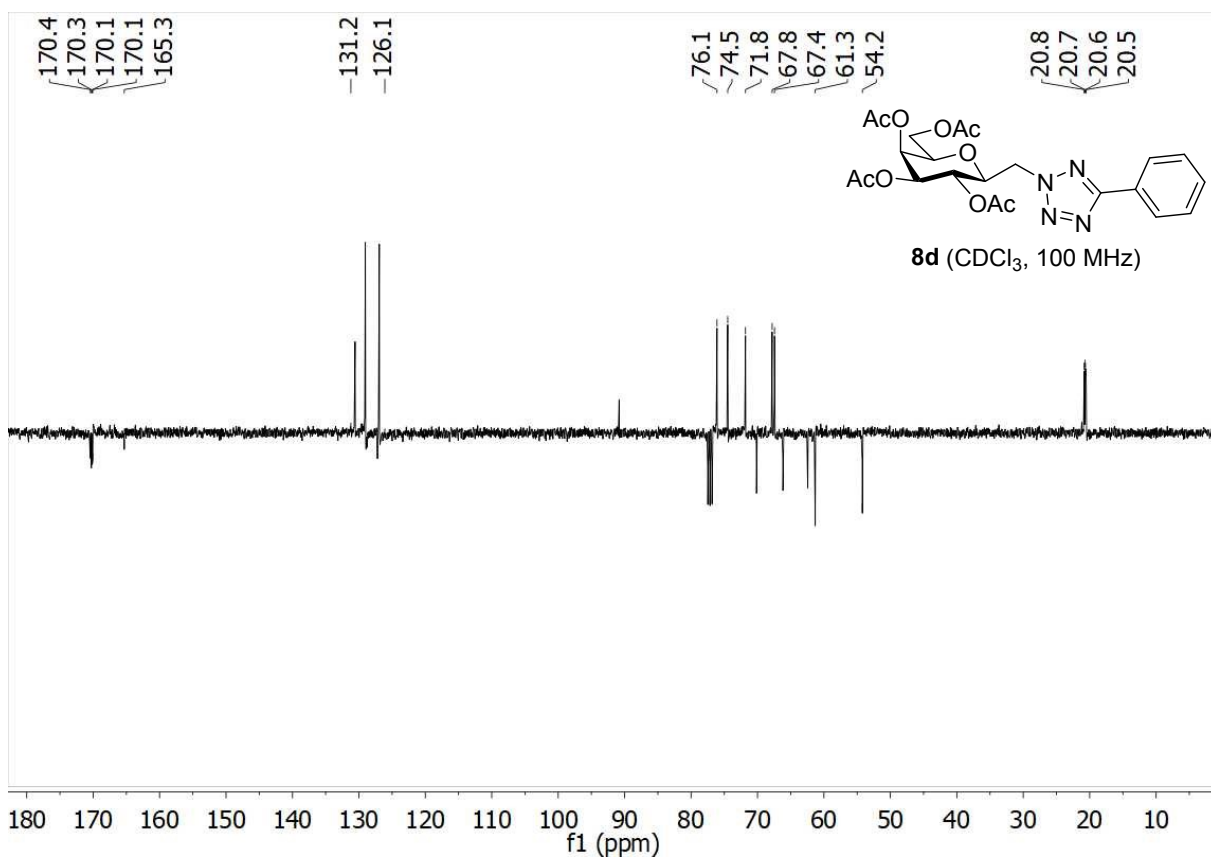
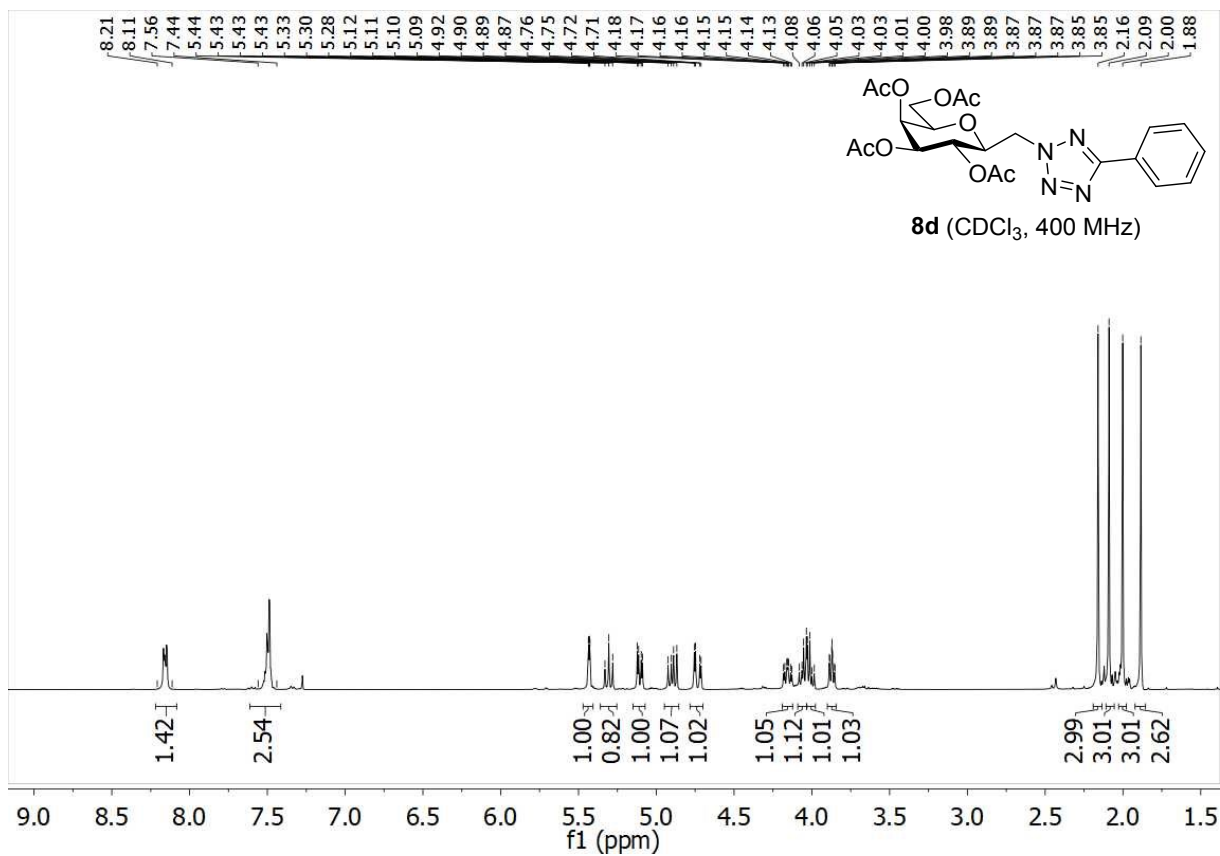


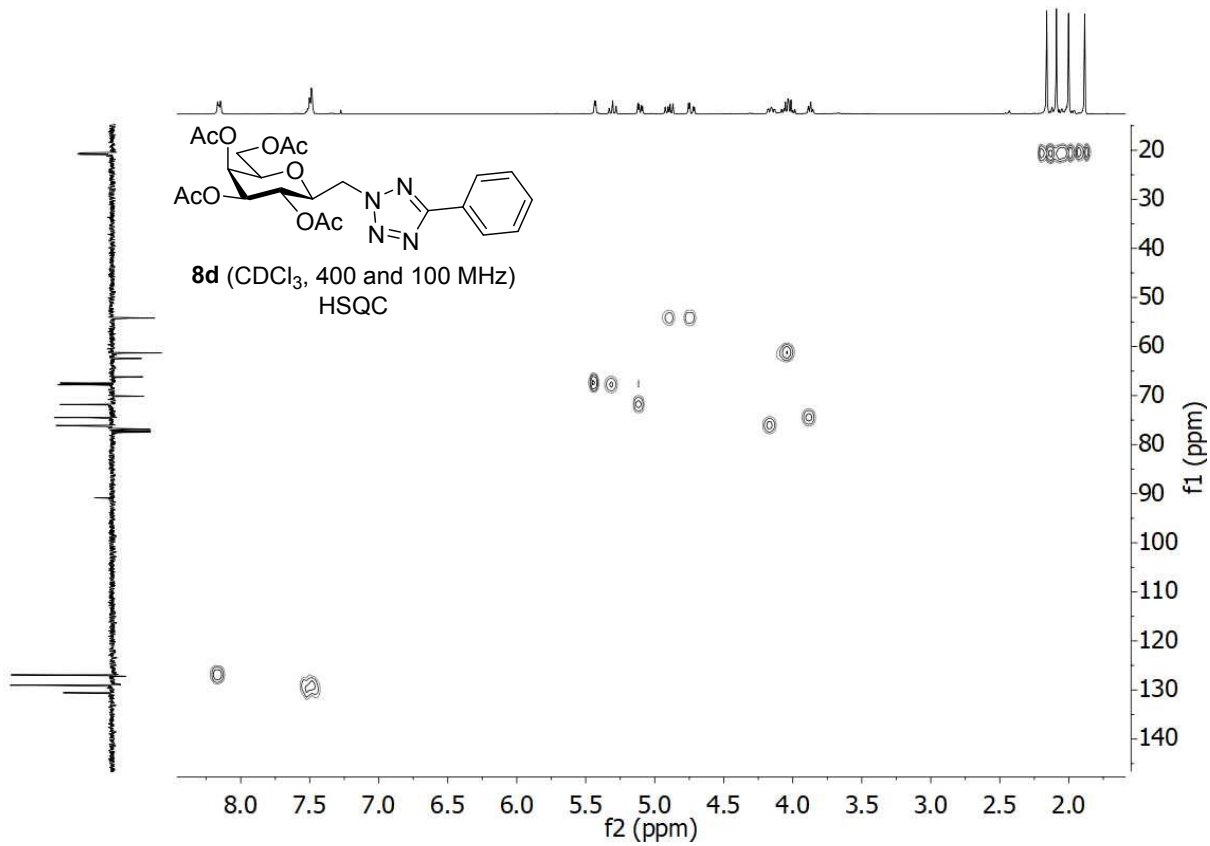
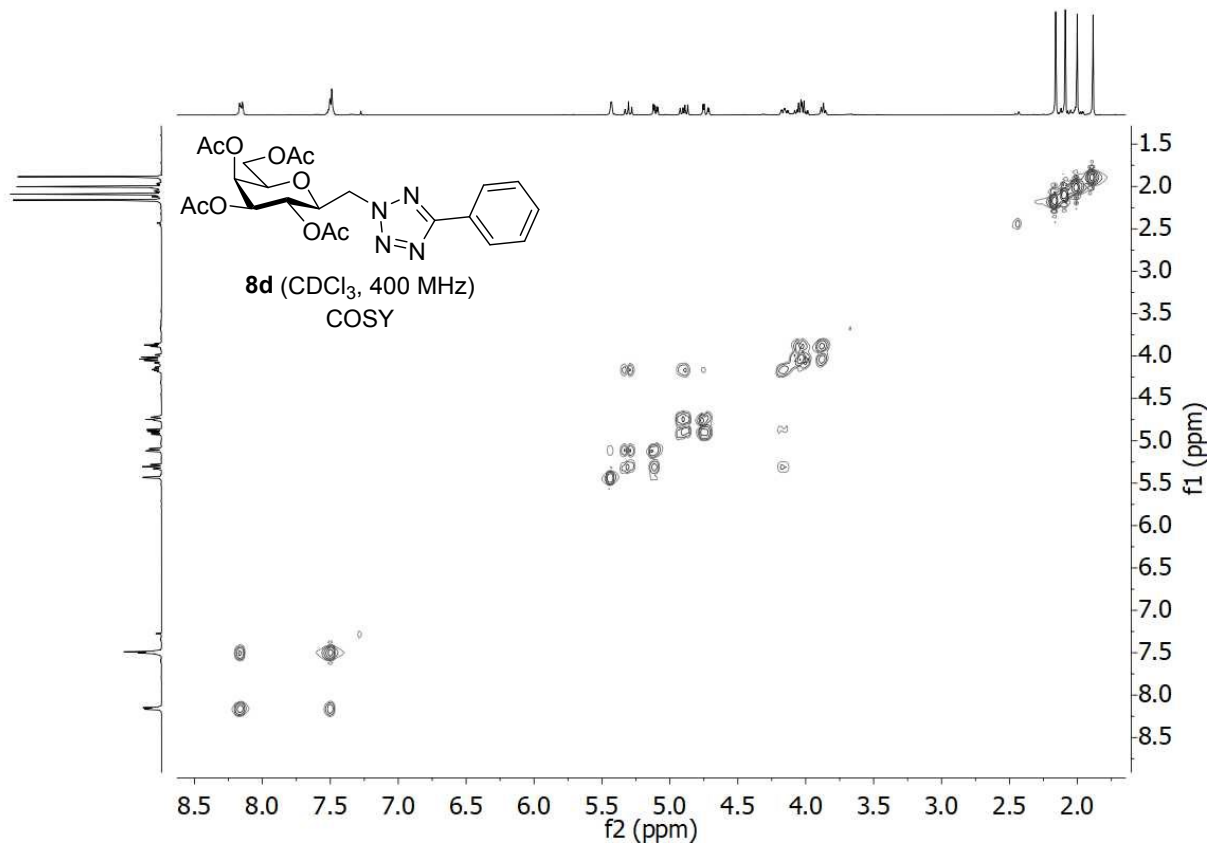


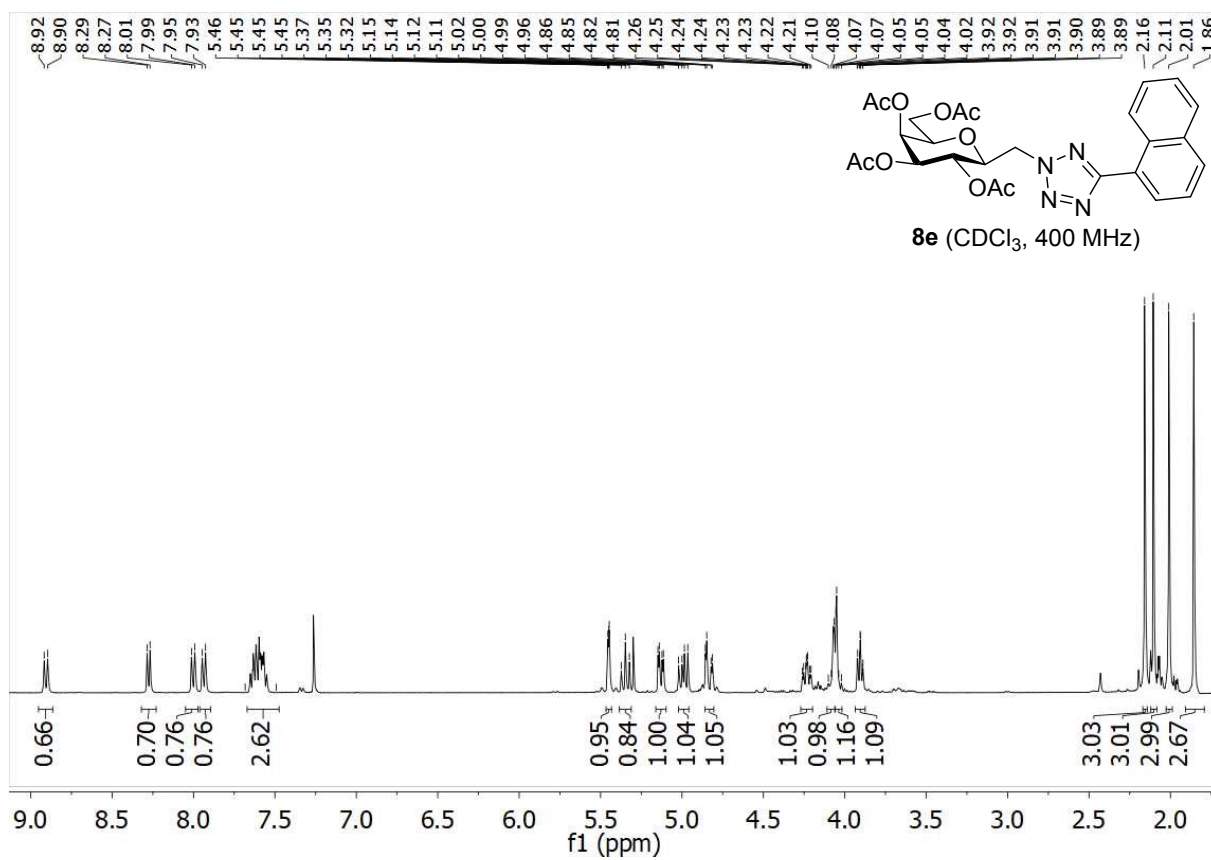
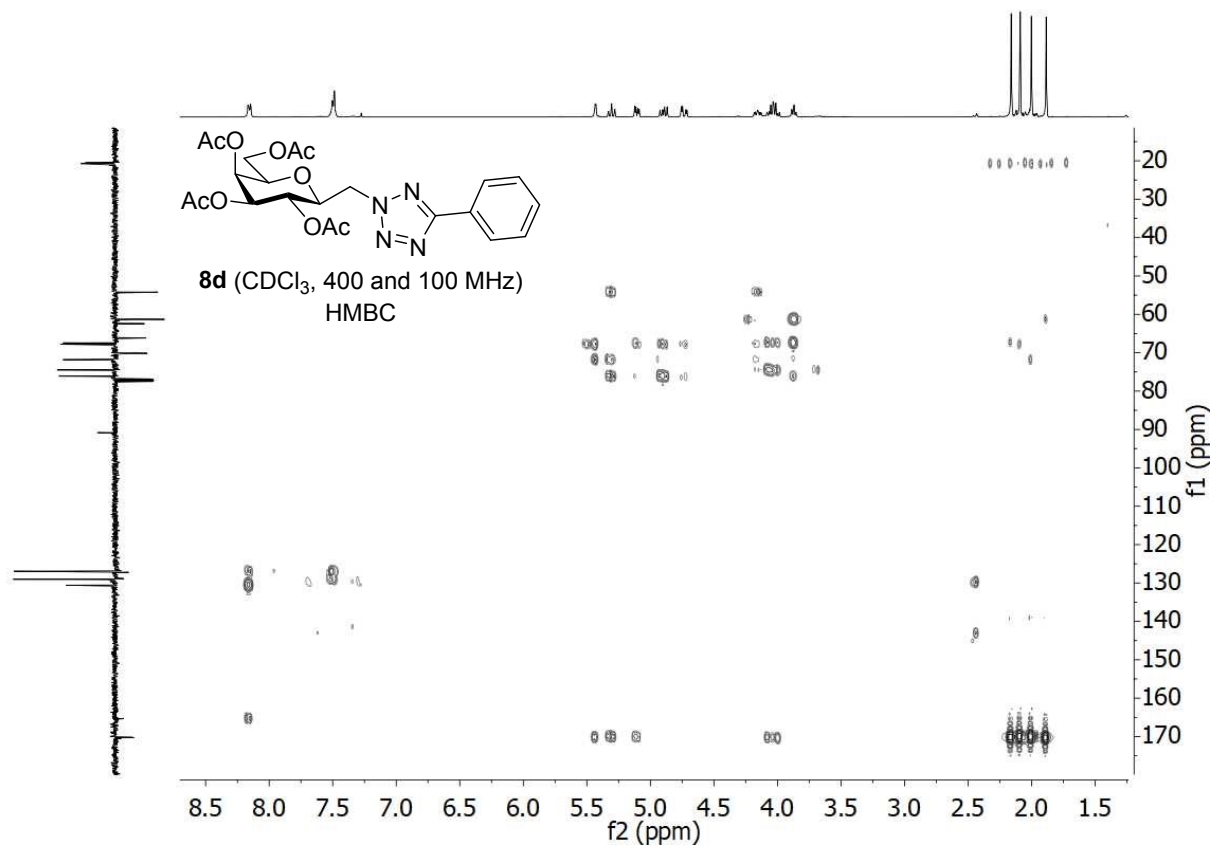


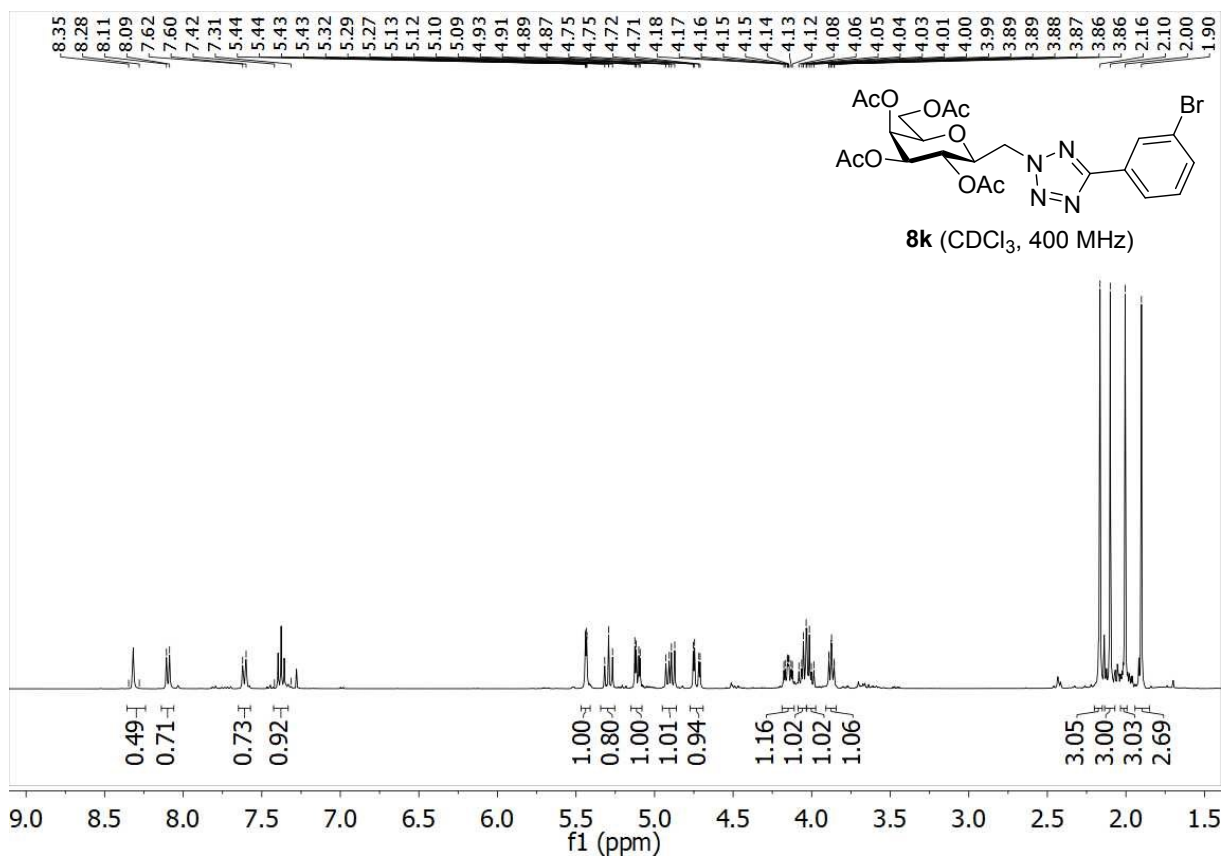
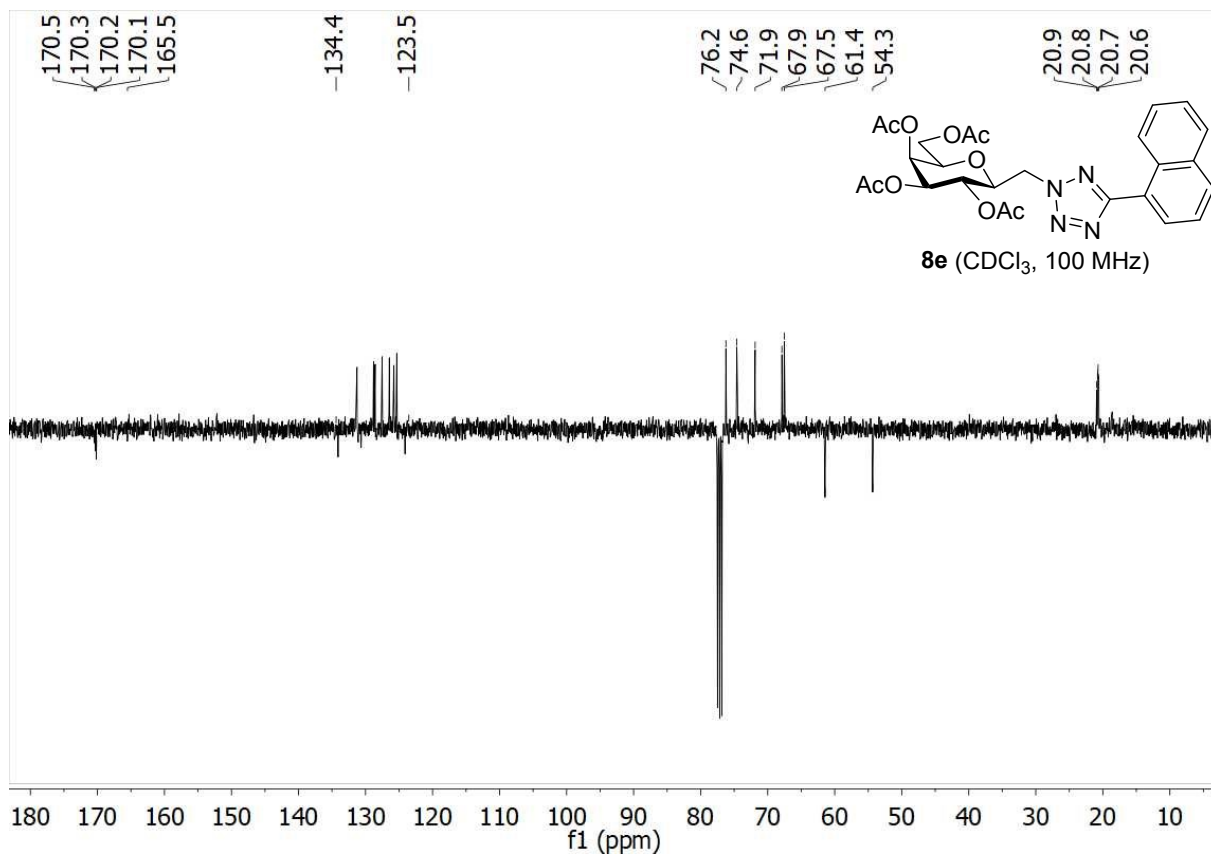


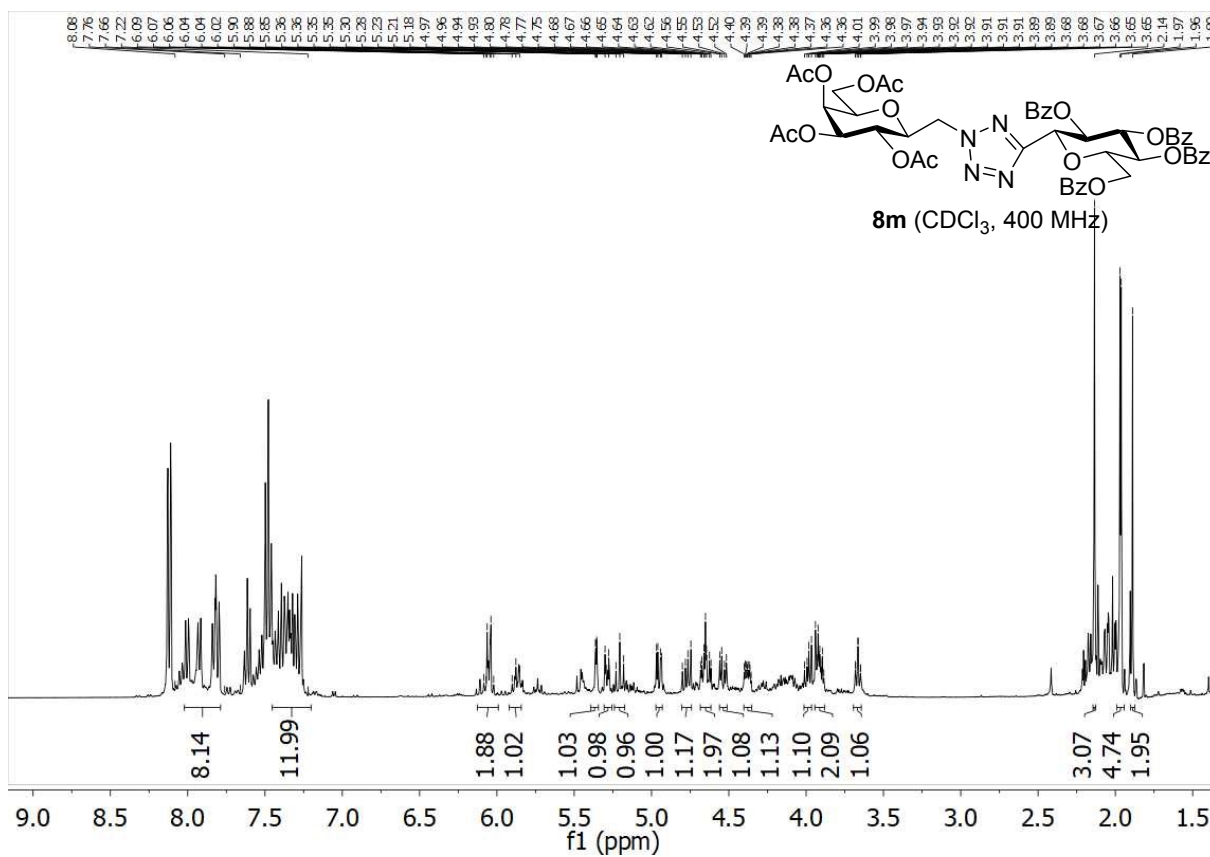
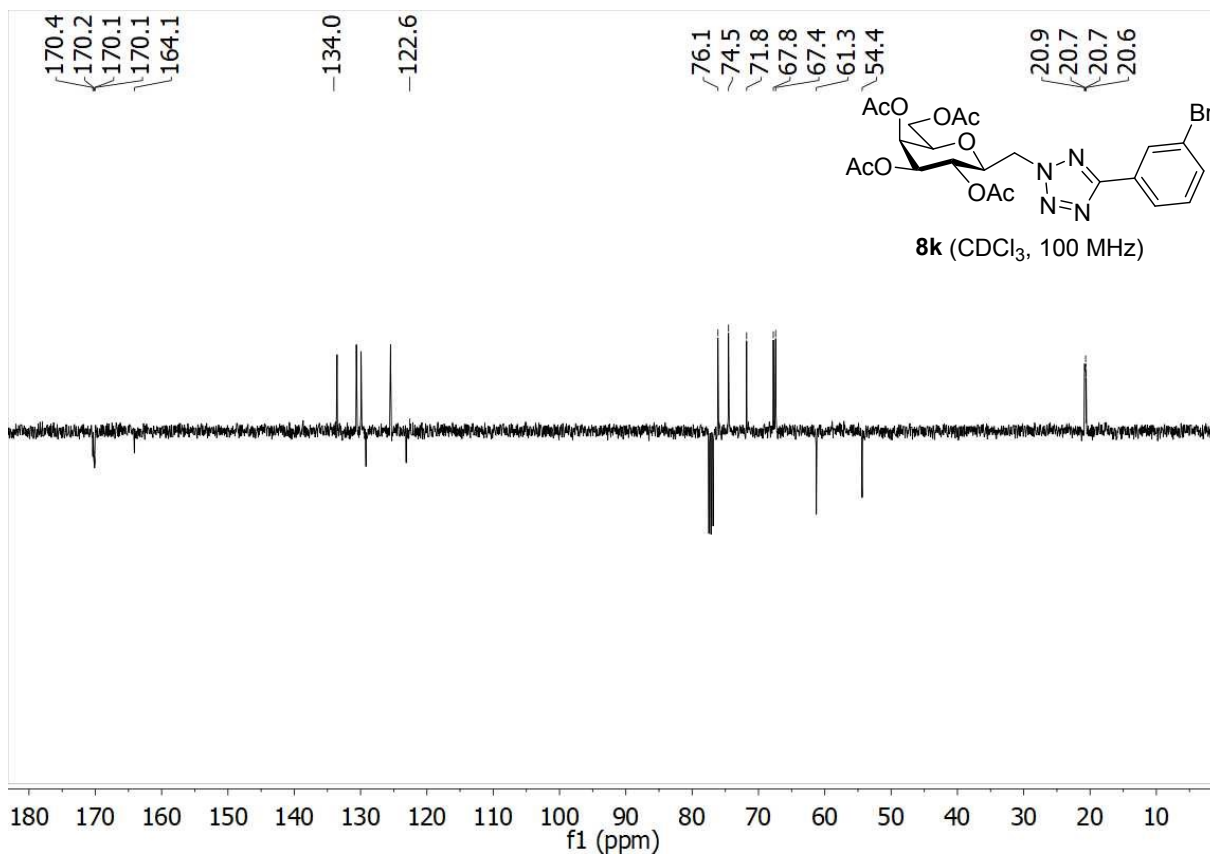


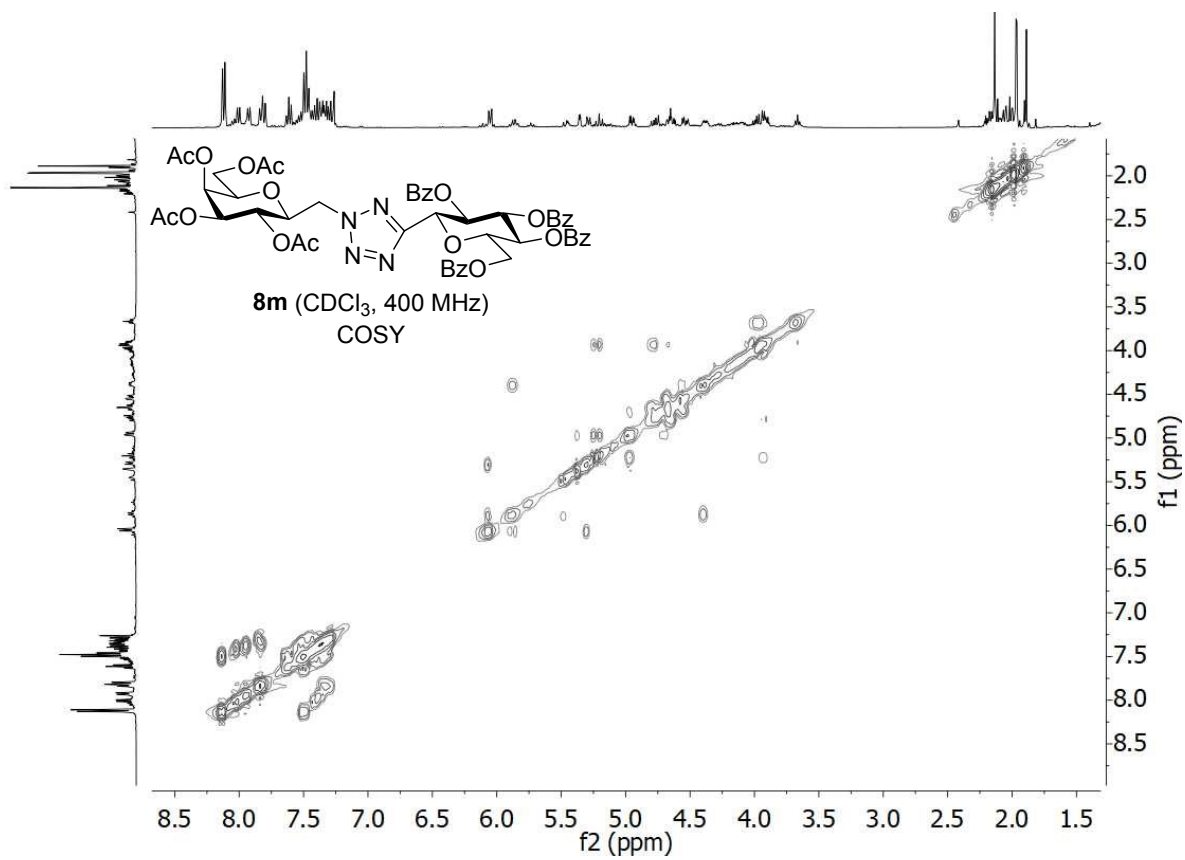
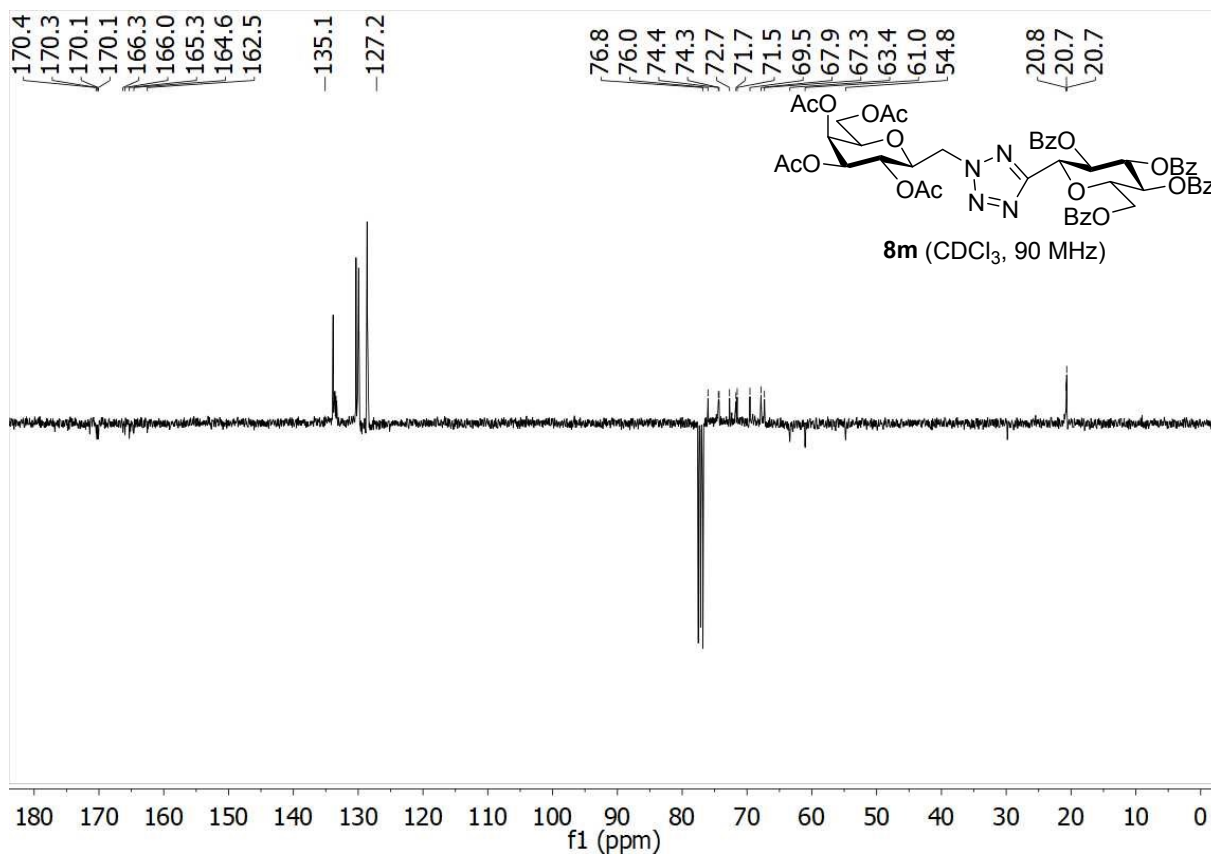


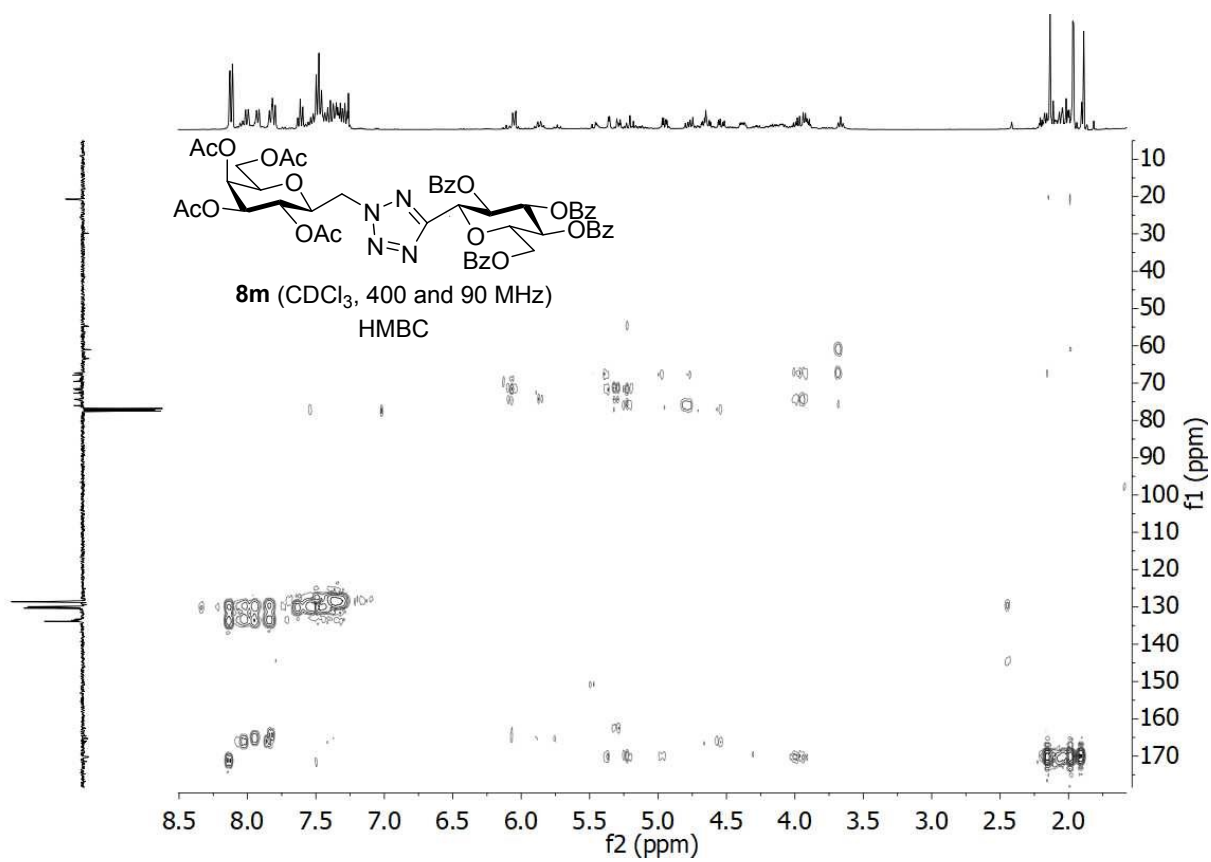
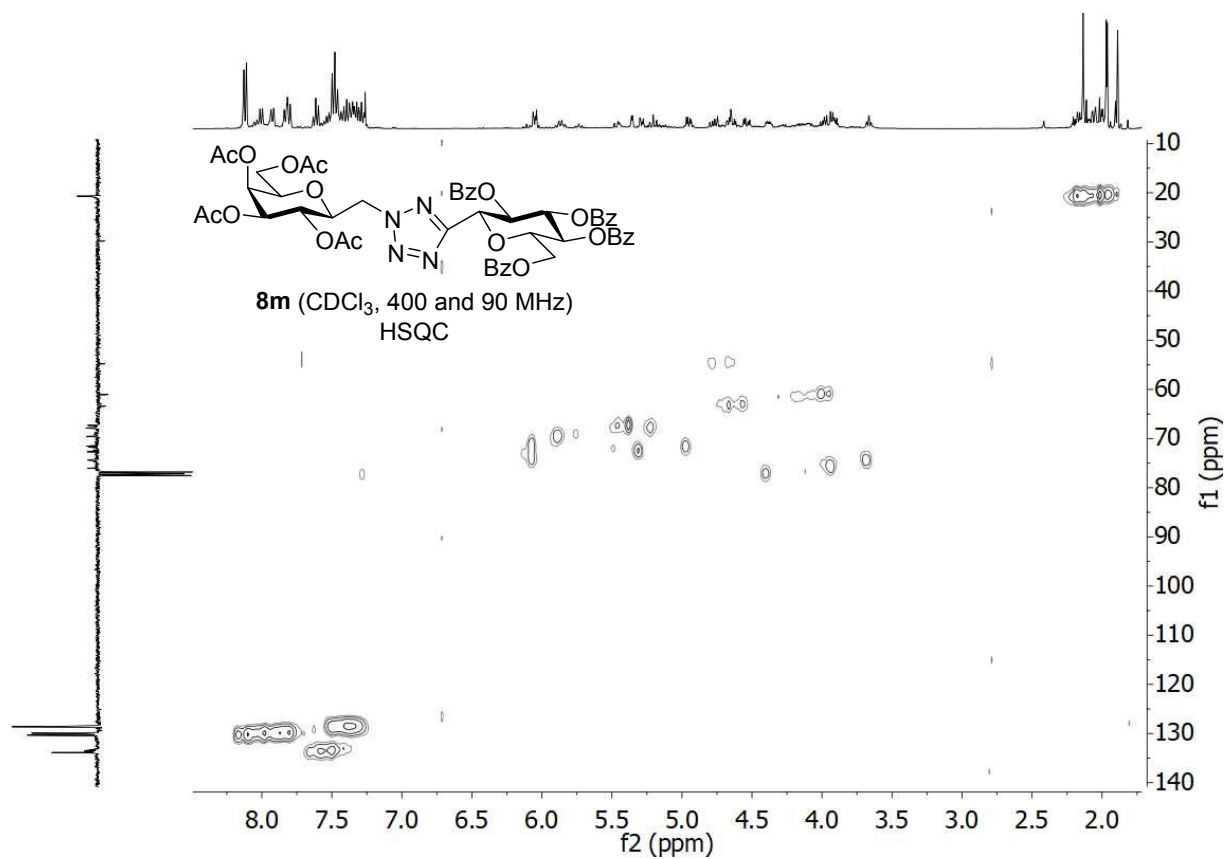


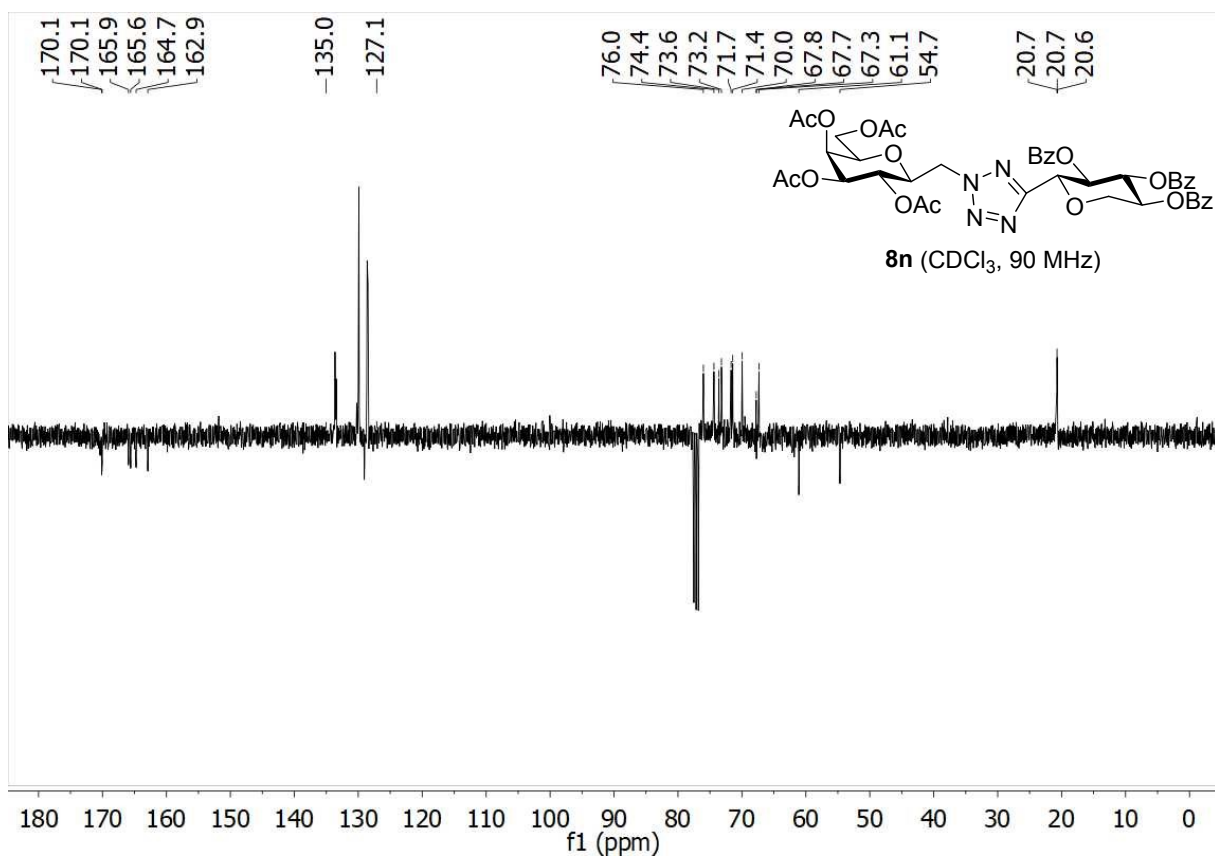
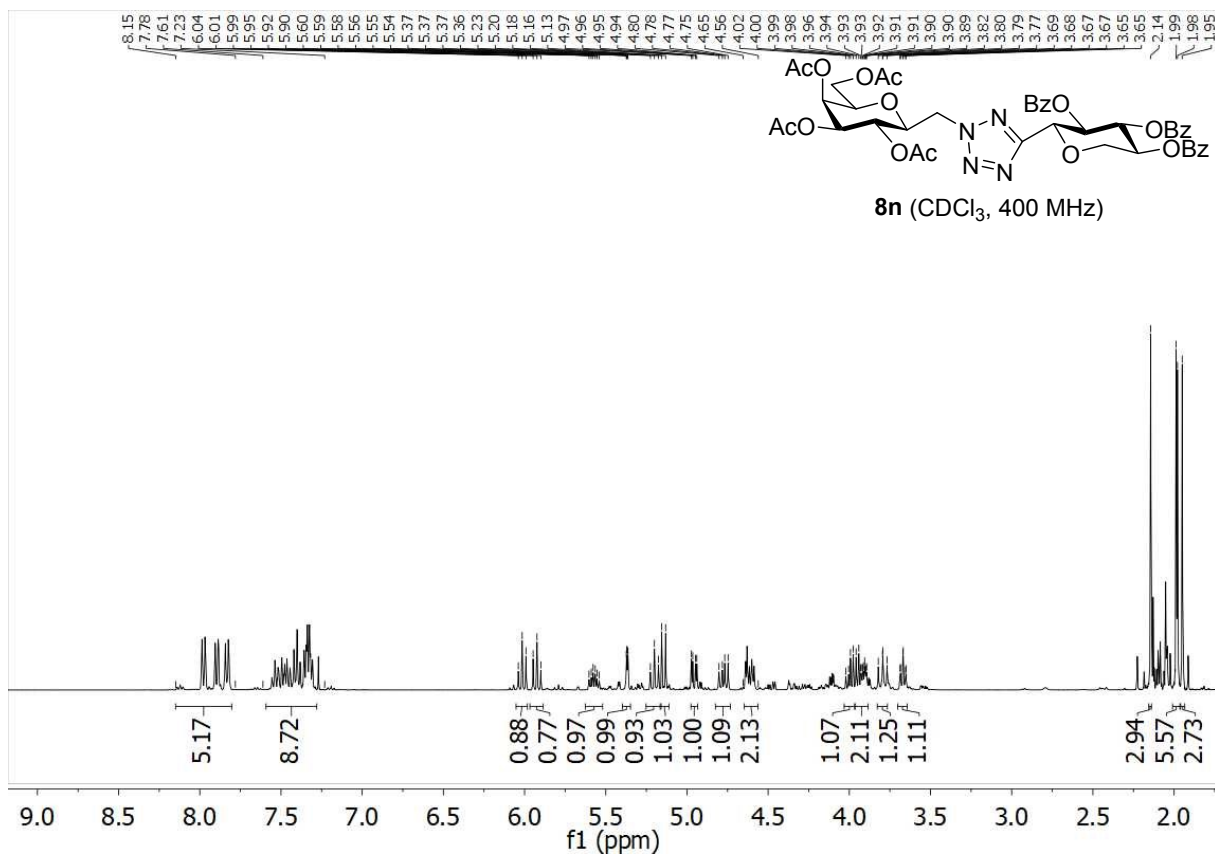


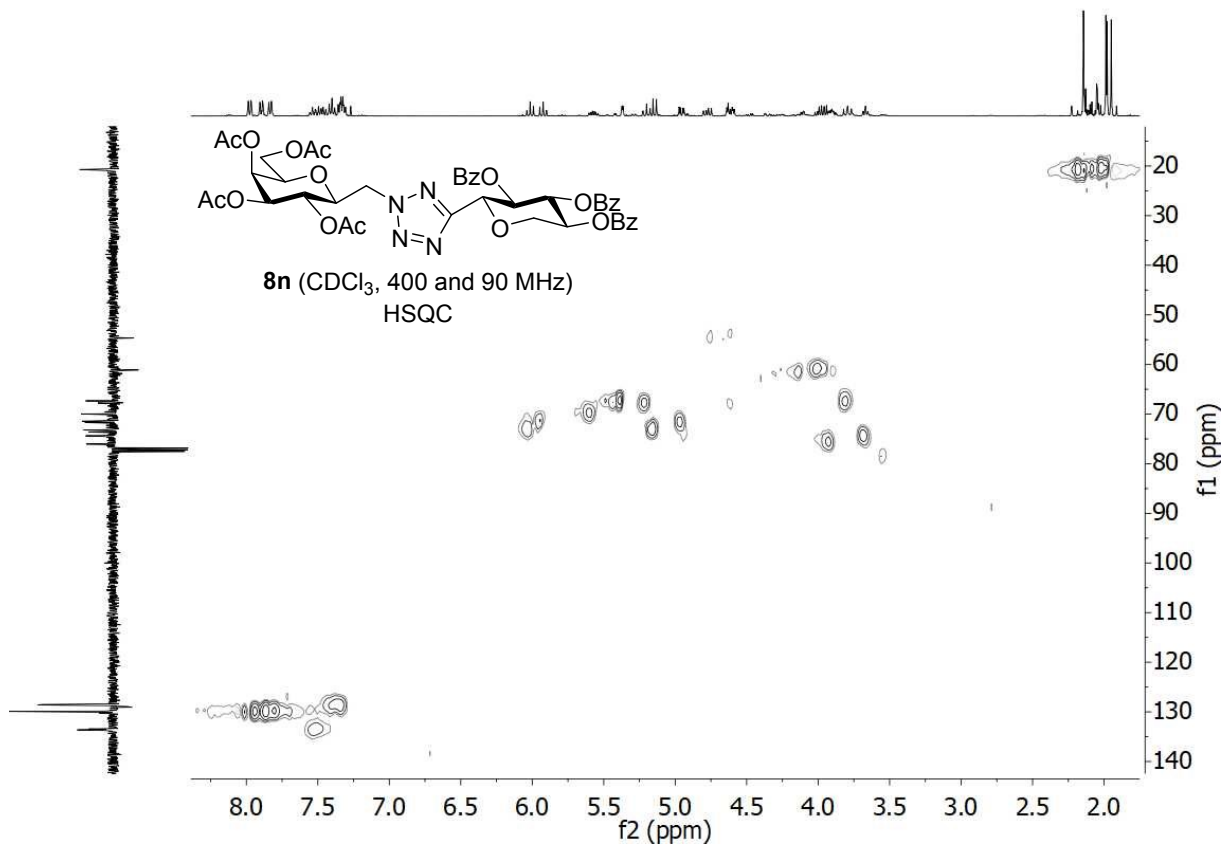
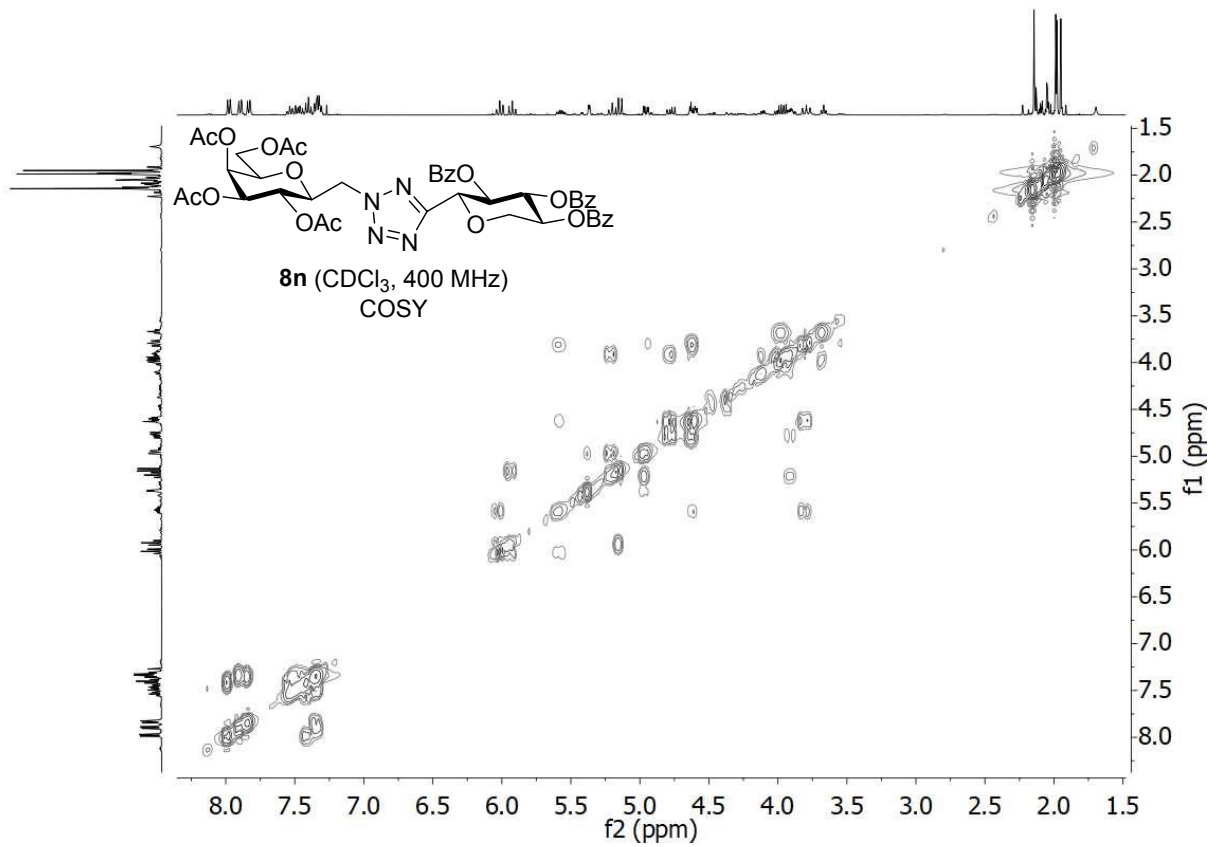


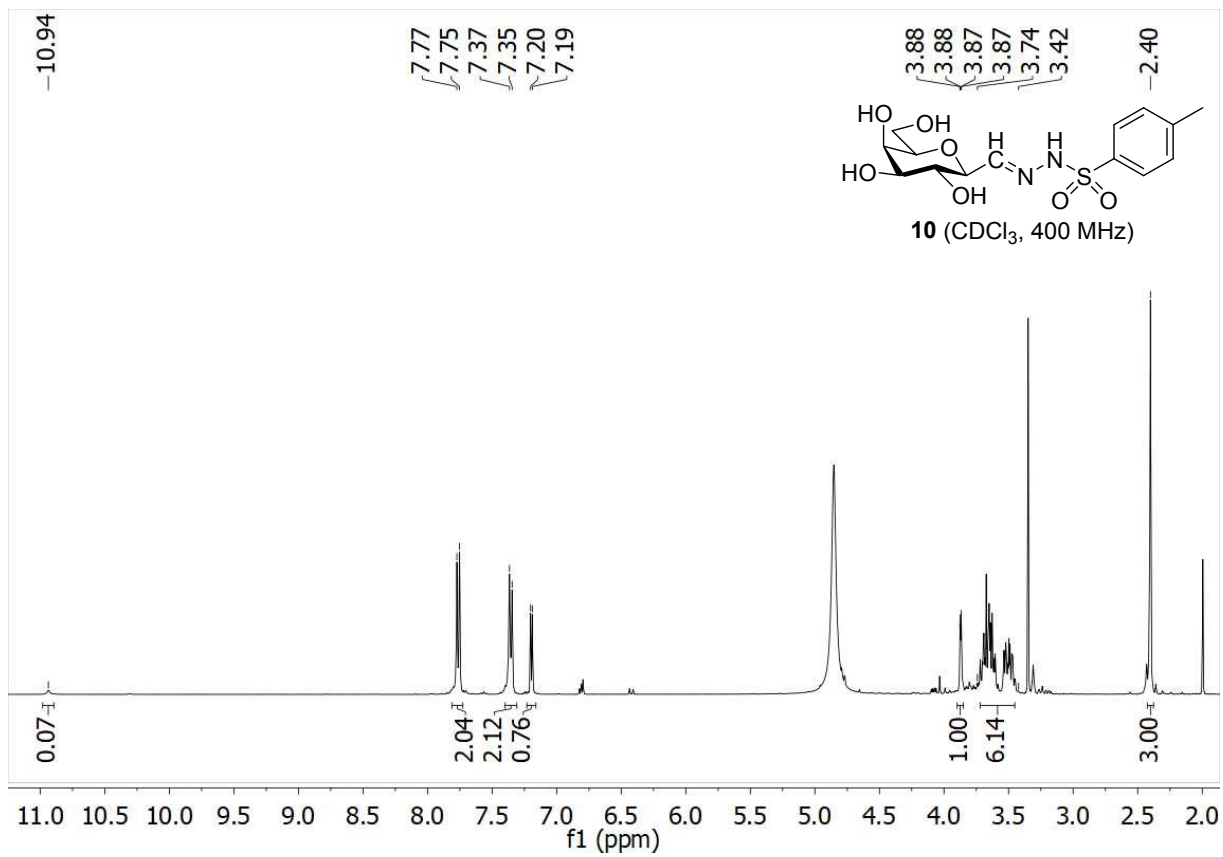
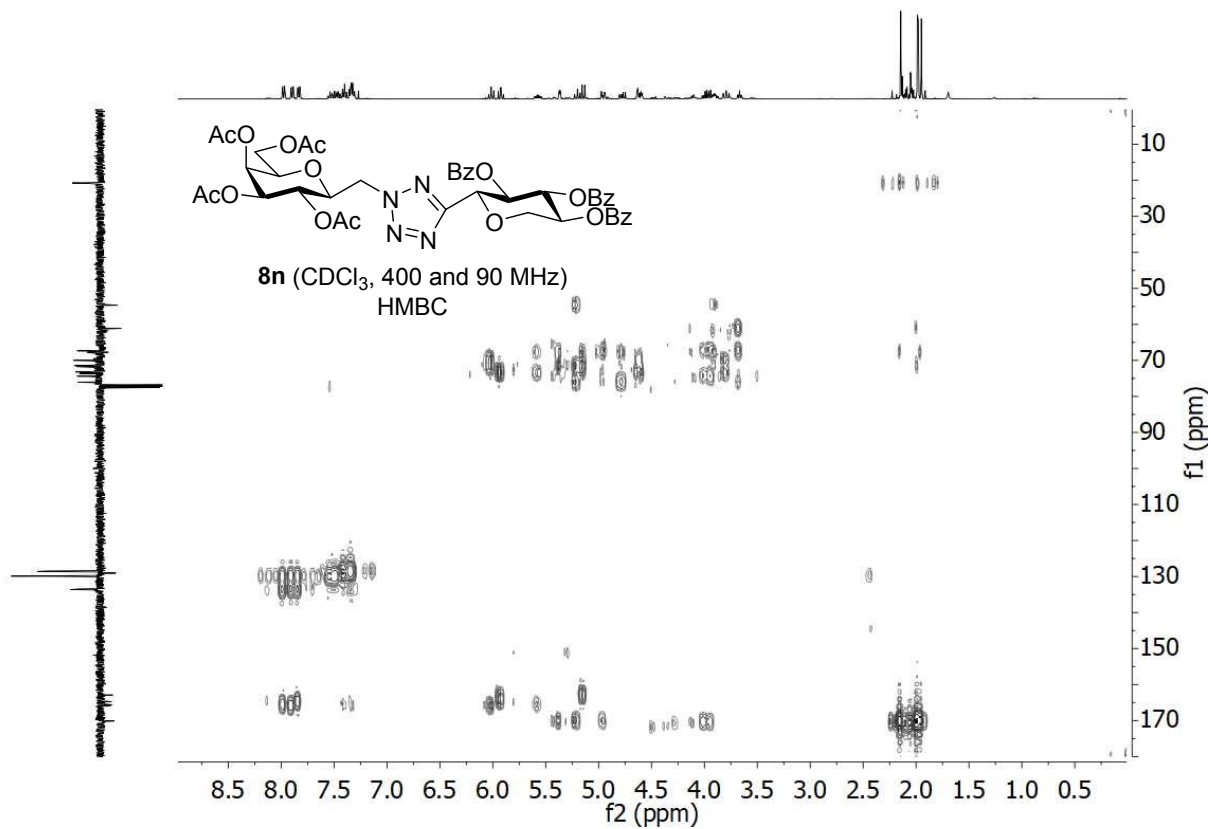


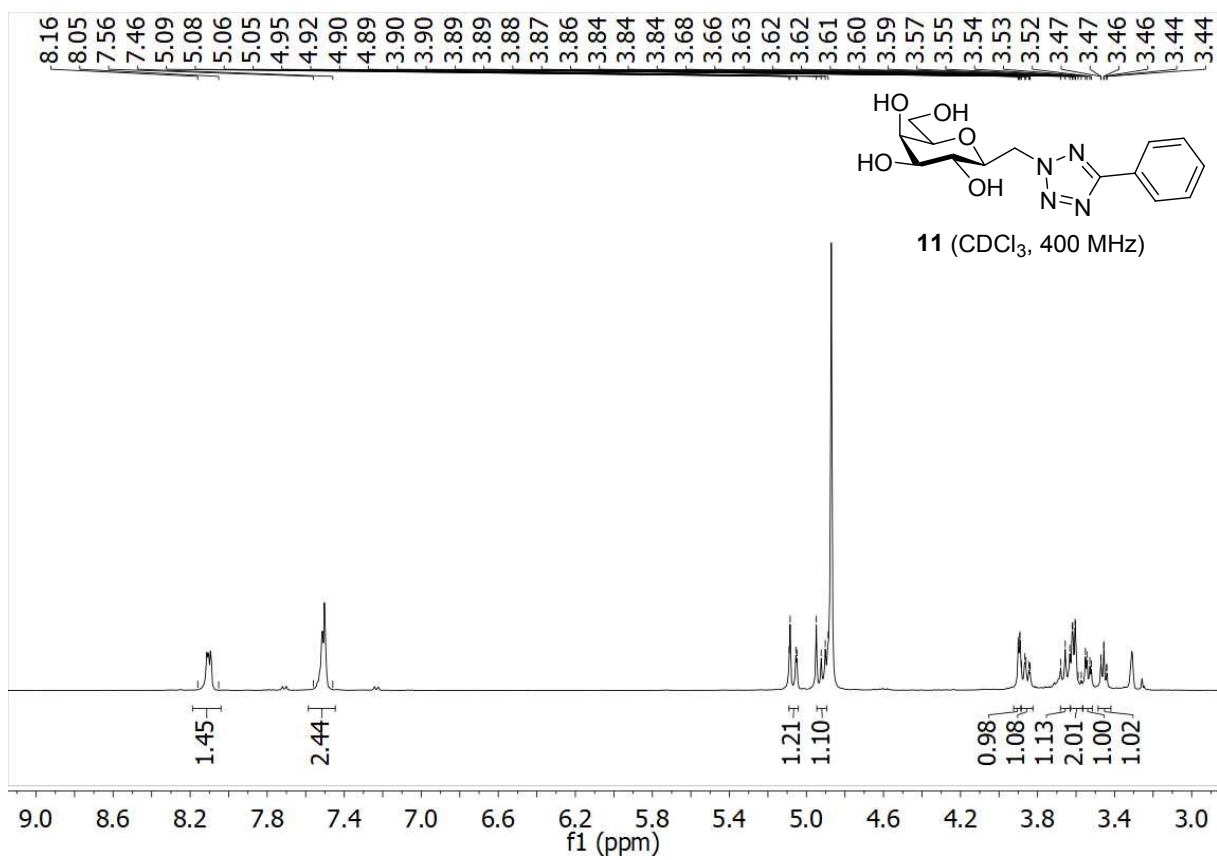
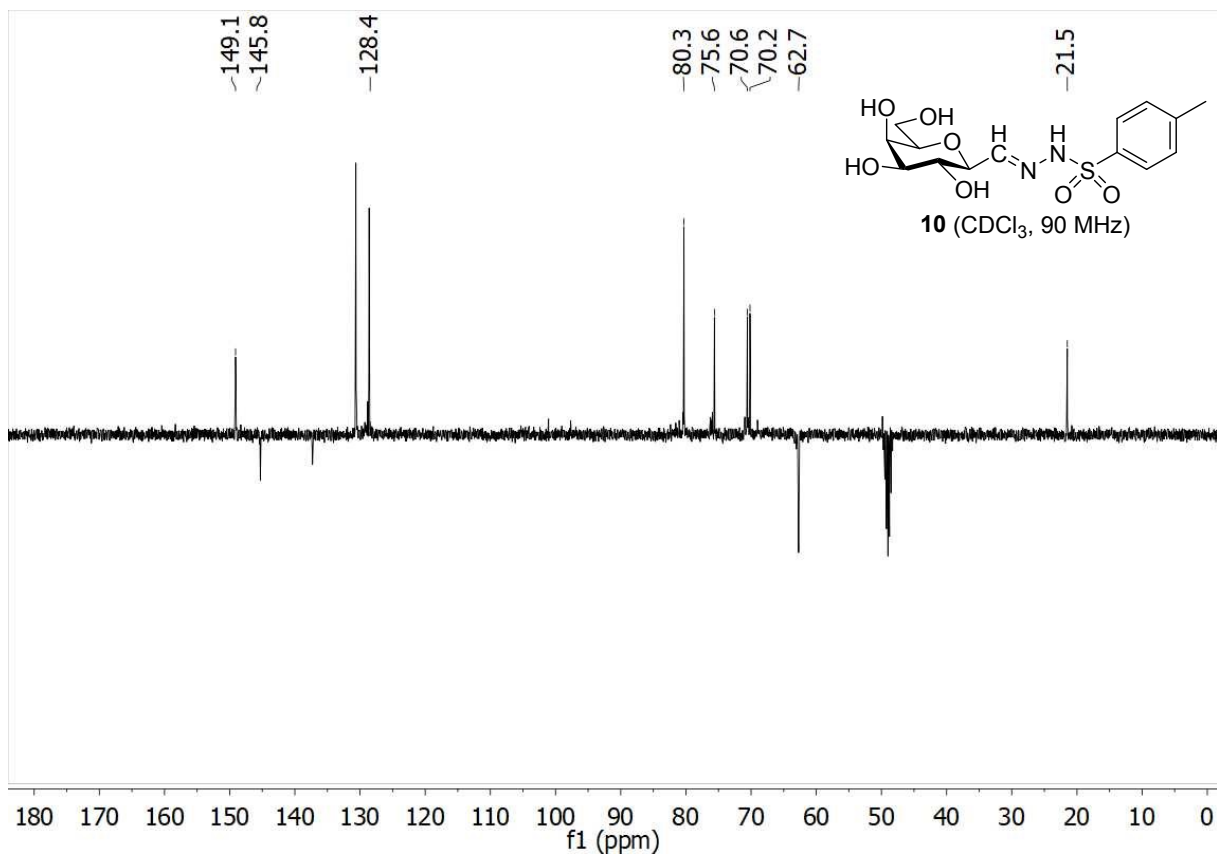


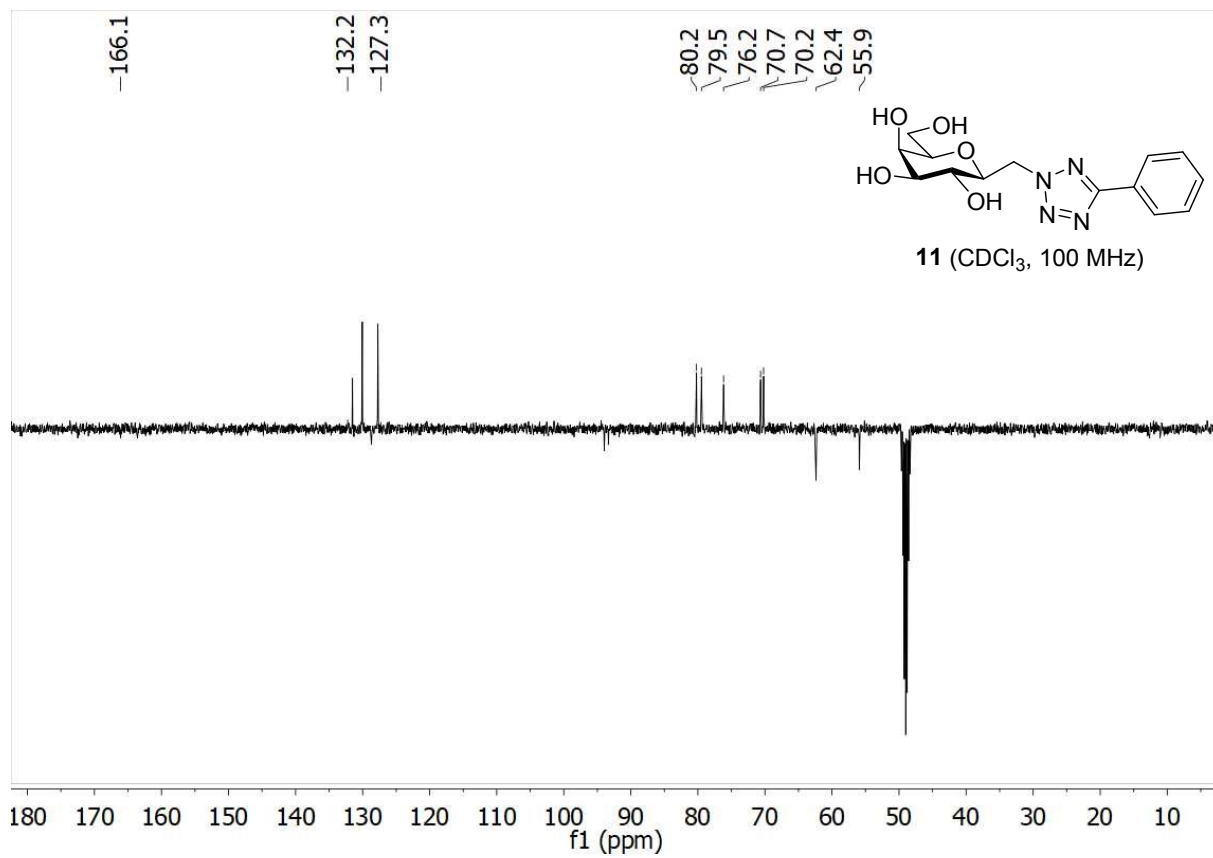












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