

Supporting Information

Three-component Coupling Reaction for the Synthesis of Fully Substituted Triazoles: Reactivity Control of Cu-Acetylide toward Alkyl Azides and Diazo Compounds

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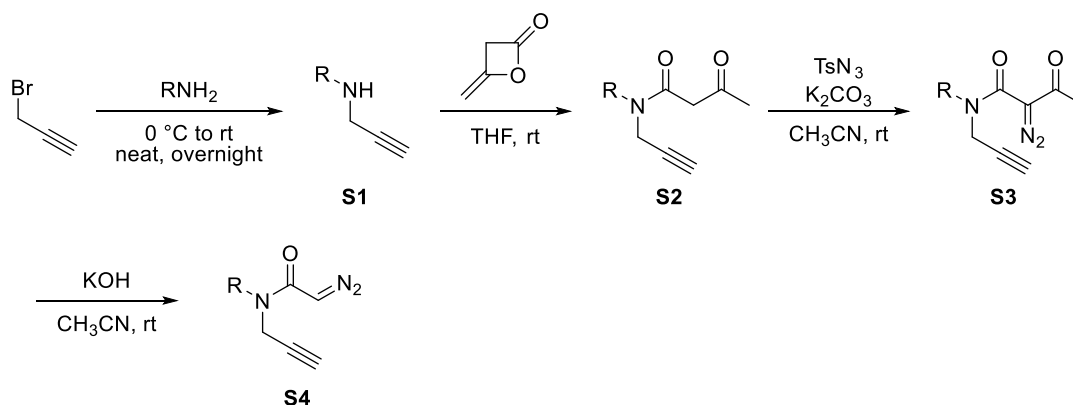
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I. General Information

All reactions were carried out under an inert nitrogen or argon atmosphere, unless otherwise indicated. Compounds were purchased from Aldrich unless otherwise noted. CH₃CN were purified based on standard procedures. Flash column chromatography was performed using silica gel 60 Å (32-63 mesh) purchased from SiliCycle. Analytical thin layer chromatography (TLC) was performed on 0.25 mm SiliCycle precoated silica gel 60 (particle size 0.040–0.063 mm). Iodide, KMnO₄, UV light (254 nm) and vanillin were used as the TLC stains. ¹H NMR and ¹³C NMR spectra were recorded on a Bruker AV-500 spectrometer. ¹H and ¹³C chemical shifts were referenced to internal solvent resonances and reported relative to SiMe₄; multiplicities are indicated by s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet) and br (broad). Coupling constants, *J*, are reported in Hz (Hertz). Electrospray ionization (ESI) mass spectra were recorded on a Micromass LCT equipped with a time-of-flight analyzer on a Waters Micromass Q-ToF Ultima in the University of Illinois at Urbana-Champaign. Electron impact (EI) mass spectra were obtained using a Micromass AutoSpec™.

II. General Procedure for the Preparation of Starting Materials

N-Propargylamides **S2** was prepared following the reported procedure by Albert Padwa and co-worker.¹ Diazoamides **S3** and **S4** were prepared following a modified procedure of Armido Studer and co-workers.²



Preparation of S1: Propargyl bromide (1.0 equiv., 80 wt. % in toluene) was added dropwise to amine (6.0 equiv.) at 0 °C. The mixture was warmed to room temperature and stirred overnight. NaOH (1 M, 4 mL/mmol) and Et₂O (4 mL/mmol) was added and stirred for 5 minutes. The mixture was extracted with Et₂O and the combined organic layer was dried over

¹ Verniest, G.; Padwa, A. Gold- and Silver-Mediated Cycloisomerizations of *N*-Propargylamides. *Org. Lett.* **2008**, *10*, 4379.

² Döben, N.; Yan, H.; Kischkewitz, M.; Mao, J.; Studer, A. Intermolecular Acetoxyaminoalkylation of α -Diazo Amides with (Diacetoxyiodo)benzene and Amines. *Org. Lett.* **2018**, *20*, 7933.

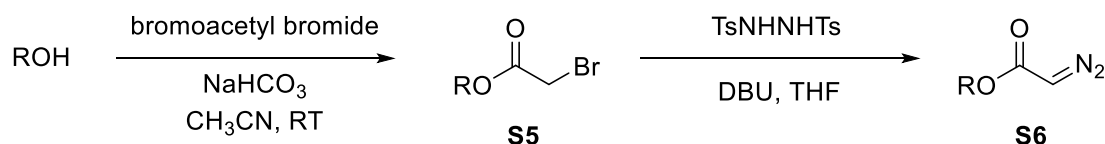
anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford *N*-propargylamine **S1**.

Preparation of S2: To a solution of diketene (5 mmol, 50% in CH₂Cl₂) in dry THF (10 mL) was added a solution of *N*-propargylamine **S1** (5 mmol) in 2 mL of dry THF at rt over 3 min. The solution was stirred for 15 h at rt and then concentrated under reduced pressure. The residue was purified by flash column chromatography to give *N*-propargylamides **S2**.

Preparation of S3: To a solution of *N*-propargylamides **S2** (1.0 equiv.) in CH₃CN (2 mL) was added *p*-TsN₃ (1.0 equiv.) and K₂CO₃ (1.12 equiv.) and the reaction mixture was stirred at room temperature. After the starting material was consumed (monitored by TLC), the mixture was filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford the diazo transfer product **S3**.

Preparation of S4: Diazoamide **S3** (1.0 equiv.) was dissolved in MeCN (1 mL/mmol) and KOH (8% aqueous solution, 1 mL/mmol) was added dropwise over 5 min. The reaction mixture was stirred for 1 hour (monitored by TLC). The reaction mixture was concentrated under reduced pressure and extracted with ethyl acetate. The combined organic layer was washed with sat. NH₄Cl, dried over anhydrous Na₂SO₄, and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford diazoamide **S4**.

Diazoacetates **S6** were synthesized following the reported procedure by Tohru Fukuyama and co-workers.³



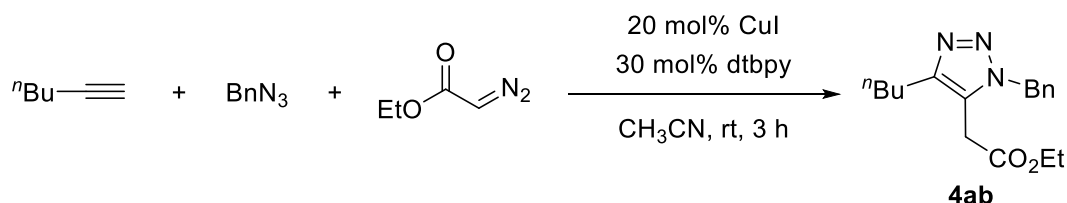
Preparation of S5: Bromoacetyl bromide (1.31 mL, 15 mmol, 1.5 equiv.) was added slowly to a mixture of alcohol (10 mmol, 1.0 equiv.) and NaHCO₃ (2.52 g, 30 mmol, 3.0 equiv.) in CH₃CN (50 mL) at 0 °C. After stirring for 10 min at the temperature, the reaction was quenched with H₂O. The mixture was extracted with CH₂Cl₂ three times. The combined organic phase was washed with brine and dried over Na₂SO₄. The solvent was removed under reduced pressure and the residue was purified by flash column chromatography to afford the bromoacetate.

Preparation of S6: The bromoacetate (1.0 mmol, 1.0 equiv.) and *N,N'*-ditosylhydrazine (681 mg, 2.0 mmol) were dissolved in THF (5.0 mL) and cooled to 0 °C. DBU (0.75 mL, 5.0 mmol)

³ Toma, T.; Shimokawa, J.; Fukuyama, T. *N,N'*-Ditosylhydrazine: A Convenient Reagent for Facile Synthesis of Diazoacetates. *Org. Lett.* **2007**, *9*, 3195.

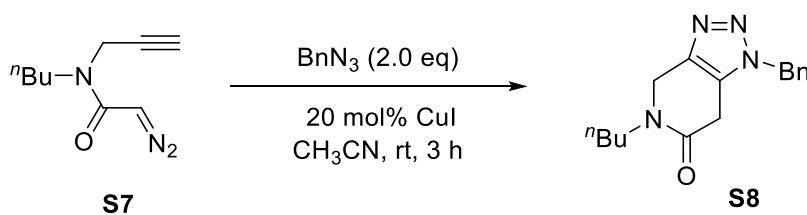
was added dropwise and stirred at the temperature for 30 minutes (monitored by TLC). After the quenching of the reaction by the addition of saturated NaHCO₃ solution, this mixture was extracted with Et₂O three times. The organic phase was washed with brine, dried over Na₂SO₄ and evaporated to give the crude diazoacetate. Purification of the crude diazoacetate was performed with neutral silica gel to give 3-phenylpropyl 2-diazoacetate.

III. General Procedure for the Three-component Coupling Reaction



1-Hexyne (16 mg, 0.2 mmol), benzyl azide (53.3 mg, 0.4 mmol, 2.0 equiv.) and ethyl diazoacetate (68.7 mg, 0.6 mmol, 3.0 equiv.) were dissolved in dry CH₃CN (1.0 mL), then dtbpy (16.1 mg, 0.06 mmol, 0.3 equiv.) and CuI (7.6 mg, 0.04 mmol, 0.2 equiv.) were added and the reaction mixture was stirred under N₂ atmosphere for 3 h. On completion of the reaction, 1 mL of aq. NH₄Cl and 1 mL of ethyl acetate were added to the reaction mixture and stirred for 5 min. Then reaction mixture was diluted with EtOAc (10 mL) and organic layer was separated and washed with brine. This organic layer dried over Na₂SO₄, filtered and concentrated under reduced pressure to afford the crude material. The crude material was purified by using flash column chromatography to afford product **4ab**.

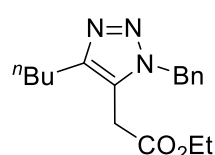
IV. General Procedure for the Synthesis of Cyclic Triazoles



Diazoamide **S7** (35.8 mg, 0.2 mmol), benzyl azide (53.3 mg, 0.4 mmol, 2.0 equiv.) were dissolved in dry CH₃CN (1.0 mL) and CuI (7.6 mg, 0.04 mmol, 0.2 equiv.) were added, and the reaction mixture was stirred under N₂ atmosphere for 3 h. On completion of the reaction, 1 mL of aq. NH₄Cl and 1 mL of ethyl acetate were added to the reaction mixture and stirred for 5 min. Then reaction mixture was diluted with EtOAc (10 mL) and organic layer was separated and washed with brine. This organic layer dried over Na₂SO₄, filtered and concentrated under reduced pressure to afford the crude material. The crude material was purified by using flash column chromatography to afford product **S8**.

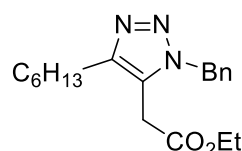
V. Characterization Data

Ethyl 2-(1-benzyl-4-butyl-1H-1,2,3-triazol-5-yl)acetate (4ab): The compound **4ab** was



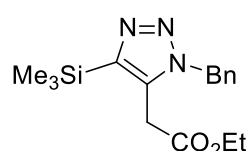
prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5:1 to 1:1). **4ab** was obtained as a yellow oil (40.0 mg, 66% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.31 (t, *J* = 7.8 Hz, 3H), 7.14 (d, *J* = 6.7 Hz, 2H), 5.57 (s, 2H), 4.04 (q, *J* = 7.1 Hz, 2H), 3.44 (s, 2H), 2.61 (t, *J* = 7.7 Hz, 2H), 1.69–1.61 (m, 2H), 1.37–1.32 (m, 2H), 1.18 (t, *J* = 7.1 Hz, 3H), 0.91 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.28, 147.35, 134.92, 129.09, 128.46, 127.41, 126.25, 61.75, 52.47, 31.69, 28.96, 24.88, 22.50, 14.13, 13.96; HRMS (ESI) calcd for C₁₇H₂₄N₃O₂ [M + H]⁺ 302.1869, found 302.1865.

Ethyl 2-(1-benzyl-4-hexyl-1H-1,2,3-triazol-5-yl)acetate (4b): The compound **4b** was



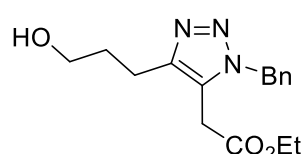
prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **4b** was obtained as a light-yellow oil (50.8 mg, 77%). ¹H NMR (500 MHz, CDCl₃) δ 7.35–7.28 (m, 3H), 7.14 (d, *J* = 6.7 Hz, 2H), 5.56 (s, 2H), 4.03 (q, *J* = 6.9 Hz, 2H), 3.43 (s, 2H), 2.60 (t, *J* = 7.6 Hz, 2H), 1.70–1.62 (m, 2H), 1.35–1.24 (m, 8H), 1.18 (t, *J* = 7.0 Hz, 3H), 0.86 (t, *J* = 6.9 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.26, 147.37, 134.91, 129.07, 128.44, 127.38, 126.23, 61.73, 52.46, 31.70, 29.53, 29.09, 28.95, 25.19, 22.66, 14.16, 14.13; HRMS (ESI) calcd for C₁₉H₂₇N₃O₂ [M + H]⁺ 330.2182, found 330.2179.

Ethyl 2-(1-benzyl-4-(trimethylsilyl)-1H-1,2,3-triazol-5-yl)acetate (4c): The compound **4c**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **4c** was obtained as a light-yellow oil (37.8 mg, 60% yield). ¹H NMR (500 MHz, C₆D₆) δ 7.36 (dd, *J* = 14.5, 7.8 Hz, 3H), 7.19 (d, *J* = 6.8 Hz, 2H), 5.64 (s, 2H), 4.05 (q, *J* = 7.1 Hz, 2H), 3.60 (s, 2H), 1.20 (t, *J* = 7.1 Hz, 3H), 0.36 (s, 9H); ¹³C NMR (126 MHz, C₆D₆) δ 168.22, 145.84, 135.21, 134.89, 129.01, 128.38, 127.45, 61.72, 51.74, 29.91, 14.07, –0.92; HRMS (ESI) calcd for C₁₆H₂₄N₃O₂Si [M + H]⁺ 318.1638, found 318.1639.

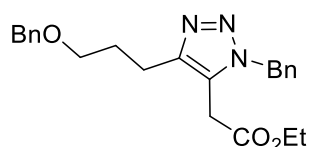
Ethyl 2-(1-benzyl-4-(3-hydroxypropyl)-1H-1,2,3-triazol-5-yl)acetate (4d): The compound



4d was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to acetone). **4d** was obtained as a green oil (38.6 mg, 64% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.36–7.29 (m, 3H), 7.14 (d, *J* = 6.8 Hz, 2H), 5.56 (s, 2H), 4.02 (q, *J* = 7.0 Hz, 2H), 3.81–3.58 (m, 2H), 3.51 (s, 2H), 2.80–2.72 (m, 2H), 2.00–1.91 (m, 2H), 1.18 (t, *J* = 7.1 Hz, 3H), 1.12 (s, 1H); ¹³C NMR (126 MHz, CDCl₃) δ

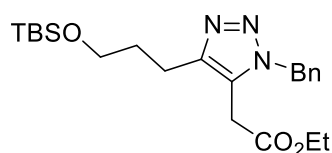
168.24, 134.51, 129.10, 129.02, 128.45, 127.31, 61.80, 61.43, 52.62, 31.40, 28.92, 21.30, 14.03; HRMS (ESI) calcd for C₁₆H₂₂N₃O₂ [M + H]⁺ 304.1661, found 304.1663.

1-Benzyl-4-(3-(benzyloxy)propyl)-5-(2-(ethylperoxy)-2λ²-ethyl)-1H-1,2,3-triazole (4e):



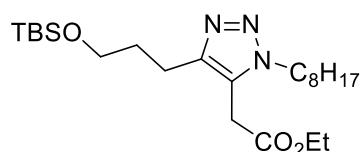
The compound **4e** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **4e** was obtained as a yellow oil (59.0 mg, 75% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.35–7.24 (m, 8H), 7.14 (d, *J* = 6.3 Hz, 2H), 5.55 (s, 2H), 4.46 (s, 2H), 4.01 (q, *J* = 7.1 Hz, 2H), 3.50 (t, *J* = 6.1 Hz, 2H), 3.43 (s, 2H), 2.74 (t, *J* = 7.4 Hz, 2H), 2.06–1.96 (m, 1H), 1.17 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.27, 146.65, 138.67, 134.85, 129.10, 128.64, 128.49, 128.47, 128.08, 127.82, 127.71, 127.62, 127.41, 126.66, 72.88, 69.32, 61.73, 52.52, 29.28, 28.78, 21.61, 14.13; HRMS (ESI) calcd for C₂₃H₂₈N₃O₂ [M + H]⁺ 394.2131, found 394.2131.

Ethyl 2-(1-benzyl-4-(3-((*tert*-butyldimethylsilyl)oxy)propyl)-1H-1,2,3-triazol-5-yl)acetate (4f):



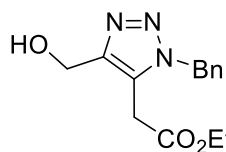
The compound **4f** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1). **4f** was obtained as a yellow oil (54.0 mg, 65% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.35–7.28 (m, 3H), 7.13 (d, *J* = 6.4 Hz, 2H), 5.55 (s, 2H), 4.03 (q, *J* = 7.1 Hz, 2H), 3.61 (t, *J* = 5.7 Hz, 2H), 3.46 (s, 2H), 2.70 (t, *J* = 7.5 Hz, 2H), 1.91–1.84 (m, 2H), 1.18 (t, *J* = 7.1 Hz, 3H), 0.87 (s, 9H), 0.01 (s, 6H); ¹³C NMR (126 MHz, CDCl₃) δ 168.26, 146.85, 134.85, 129.07, 128.45, 127.39, 126.63, 62.06, 61.69, 52.50, 32.39, 28.78, 26.03, 22.20, 21.22, 14.12, –5.21; HRMS (ESI) calcd for C₂₂H₃₆N₃O₃Si [M + H]⁺ 418.2526, found 413.2518.

Ethyl 2-(4-(3-((*tert*-butyldimethylsilyl)oxy)propyl)-1-octyl-1H-1,2,3-triazol-5-yl)acetate (4f')

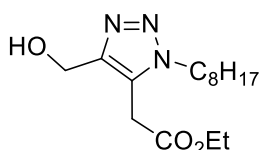


The compound **4f'** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **4f'** was obtained as a yellow oil (40.1 mg, 61% yield). ¹H NMR (500 MHz, CDCl₃) δ 4.21 (t, *J* = 7.4 Hz, 2H), 4.14 (q, *J* = 7.1 Hz, 2H), 3.64 (s, 2H), 3.61 (t, *J* = 6.05 Hz, 4H), 2.70 (t, *J* = 7.5 Hz, 2H), 1.86 (m, 4H), 1.33–1.18 (m, 13H), 0.9–0.82 (m, 12H), 0.02 (s, 6H); ¹³C NMR (125 MHz, CDCl₃) δ 168.2, 145.8, 126.0, 61.9, 61.6, 48.3, 32.3, 31.7, 29.6, 29.0, 28.7, 26.6, 25.9, 22.5, 21.1, 18.2, 14.0, –5.3; HRMS (ESI) calcd for C₂₃H₄₆N₃O₃Si [M + H]⁺ 440.3308, found 440.3298.

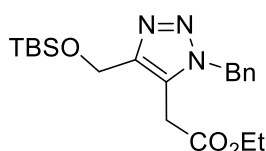
Ethyl 2-(1-benzyl-4-(hydroxymethyl)-1*H*-1,2,3-triazol-5-yl)acetate (4g): The compound **4g** was prepared according to the general procedure and was purified by flash column chromatography (ethyl acetate). **4g** was obtained as a brown oil (141.0 mg, 71% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.36–7.29 (m, 3H), 7.15 (d, *J* = 6.1 Hz, 2H), 5.57 (s, 2H), 4.77 (s, 2H), 4.03 (q, *J* = 6.8 Hz, 2H), 3.62 (s, 2H), 2.45 (brs, 1H), 1.18 (t, *J* = 7.0 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.39, 146.78, 134.42, 129.18, 128.66, 128.15, 127.43, 62.07, 56.48, 52.59, 28.94, 14.09; HRMS (ESI) calcd for C₁₄H₁₈N₃O₃ [M + H]⁺ 276.1348, found 276.1349.



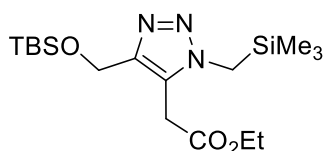
Ethyl 2-(4-(hydroxymethyl)-1-octyl-1*H*-1,2,3-triazol-5-yl)acetate (4g'): The compound **4g'** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to 1:2). **4g'** was obtained as a light-yellow oil (83.0 mg, 70% yield). ¹H NMR (500 MHz, CDCl₃) δ 4.75 (s, 2H), 4.24 (t, *J* = 7.2 Hz, 2H), 4.17 (q, *J* = 7.0 Hz, 2H), 3.78 (s, 2H), 2.81 (s, 1H), 1.90–1.81 (m, 2H), 1.32–1.29 (m, 3H), 1.28–1.21 (m, 10H), 0.86 (t, *J* = 6.5 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.54, 146.41, 127.96, 62.10, 56.33, 48.54, 31.77, 30.04, 29.10, 29.09, 28.98, 26.66, 22.65, 14.14, 14.12; HRMS (ESI) calcd for C₁₅H₂₈N₃O₃ [M + H]⁺ 298.2131, found 298.2129.



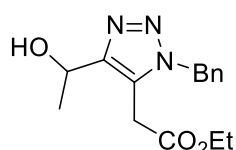
Ethyl 2-(1-benzyl-4-(((*tert*-butyldimethylsilyl)oxy)methyl)-1*H*-1,2,3-triazol-5-yl)acetate (4h): The compound **4h** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5:1). **4h** was obtained as a yellow oil (59.7 mg, 77% yield). ¹H NMR (500 MHz, CDCl₃) δ 4.82 (s, 2H), 4.14 (q, *J* = 6.9 Hz, 2H), 3.78 (s, 2H), 3.60 (s, 2H), 1.24 (t, *J* = 7.0 Hz, 3H), 0.87 (s, 9H), 0.18 (s, 9H), 0.06 (s, 6H); ¹³C NMR (126 MHz, CDCl₃) δ 168.51, 144.94, 128.42, 61.60, 58.25, 38.87, 29.02, 25.98, 14.23, –1.70, –5.29; HRMS (ESI) calcd for C₂₀H₃₂N₃O₃Si [M + H]⁺ 390.2213, found 390.2206.



Ethyl 2-(4-(((*tert*-butyldimethylsilyl)oxy)methyl)-1-((trimethylsilyl)methyl)-1*H*-1,2,3-triazol-5-yl)acetate (4h'): The compound **4h'** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **4h'** was obtained as a yellow oil (59.7 mg, 77% yield). ¹H NMR (500 MHz, CDCl₃) δ 4.83 (s, 2H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.79 (s, 2H), 3.60 (s, 2H), 1.25 (t, *J* = 7.1 Hz, 3H), 0.88 (s, 9H), 0.19 (s, 9H), 0.07 (s, 6H); ¹³C NMR (125 MHz, CDCl₃) δ 168.4, 144.8, 128.3, 61.5, 58.1, 38.7, 28.9, 25.9, 18.3, 14.1, –1.7, –5.3; HRMS (ESI) calcd for C₁₇H₃₆N₃O₃Si₂ [M + H]⁺ 386.2295, found 386.2286.

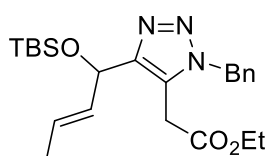


Ethyl 2-(1-benzyl-4-(1-hydroxyethyl)-1*H*-1,2,3-triazol-5-yl)acetate (4i'): The compound **4i**



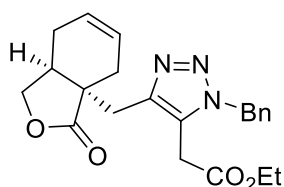
was prepared according to the general procedure and converted to **4i'** after purification. **4i'** was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **4i'** was obtained as a light-yellow oil (45.7 mg, 79% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.35–7.27 (m, 3H), 7.14 (d, *J* = 6.4 Hz, 2H), 5.54 (s, 2H), 5.08–5.01 (m, 1H), 4.00 (q, *J* = 7.0 Hz, 2H), 3.66 (s, 2H), 2.48 (brs, 1H), 1.62 (d, *J* = 6.4 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.69, 150.03, 134.52, 129.13, 128.59, 127.41, 126.71, 63.67, 61.96, 52.40, 29.03, 23.31, 14.07; HRMS (ESI) calcd for C₁₅H₂₀N₃O₃ [M + H]⁺ 290.1505, found 290.1506.

Ethyl (*E*)-2-(1-benzyl-4-(1-((*tert*-butyldimethylsilyl)oxy)-3,7-dimethylocta-2,6-dien-1-yl)-1*H*-1,2,3-triazol-5-yl)acetate (4j): The compound **4j** was prepared



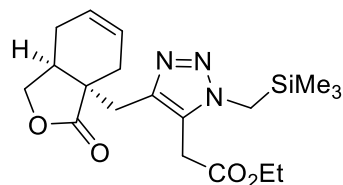
according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5:1). **4j** was obtained as a mixture of triazole, light-yellow oil (66.3 mg, 45% yield of **4j**). Characteristic data for **4j**: ¹H NMR (500 MHz, CDCl₃) δ 7.12 (d, *J* = 6.2 Hz, 2H), 5.49 (s, 2H), 4.08 – 3.98 (m, 2H), 3.75 (d, *J* = 17.4 Hz, 1H), 3.53 (d, *J* = 17.4 Hz, 1H), 1.64 (d, *J* = 6.3 Hz, 3H), 1.18 (t, *J* = 7.1 Hz, 3H), 0.81 (s, 9H), –0.02 (s, 3H), –0.08 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.47, 148.72, 132.65, 129.03, 127.37, 126.36, 125.61, 69.86, 61.51, 52.38, 28.78, 25.90, 17.57, 14.13, –4.83; HRMS (ESI) calcd for C₂₃H₃₆N₃O₃Si [M + H]⁺ 430.2526, found 430.2517.

Ethyl 2-(1-benzyl-4-(((3*aS*,7*aS*)-3-oxo-1,4,7,7*a*-tetrahydroisobenzofuran-3*a*(3*H*)-yl)methyl)-1*H*-1,2,3-triazol-5-yl)acetate (4k): The compound **4k**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5:1 to 1:1). **4k** was obtained as a light-yellow oil (43.5 mg, 55% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.37–7.27 (m, 3H), 7.10 (d, *J* = 7.2 Hz, 2H), 5.85–5.79 (m, 1H), 5.78–5.72 (m, 1H), 5.58 (d, *J* = 15.6 Hz, 1H), 5.41 (d, *J* = 15.6 Hz, 1H), 4.14 (t, *J* = 8.3 Hz, 1H), 4.02 (t, *J* = 7.1 Hz, 2H), 3.85 (t, *J* = 9.4 Hz, 1H), 3.69 (d, *J* = 17.5 Hz, 1H), 3.56 (d, *J* = 17.5 Hz, 1H), 3.05–2.94 (m, 2H), 2.94–2.87 (m, 1H), 2.63–2.53 (m, 1H), 2.35–2.29 (m, 1H), 2.11–2.04 (m, 1H), 2.02–1.92 (m, 1H), 1.17 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 181.35, 168.40, 142.61, 134.51, 129.07, 128.99, 128.51, 127.41, 125.51, 123.58, 70.44, 61.64, 52.59, 44.70, 34.91, 29.60, 29.55, 28.58, 22.19, 14.08; HRMS (ESI) calcd for C₂₂H₂₆N₃O₄ [M + H]⁺ 396.1923, found 396.1921.

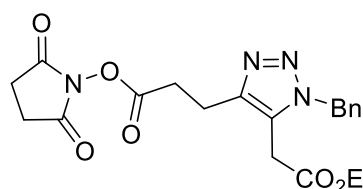
Ethyl 2-(4-(((3*a*S,7*a*S)-3-oxo-1,4,7,7*a*-tetrahydroisobenzofuran-3*a*(3*H*)-yl)methyl)-1-((trimethylsilyl)methyl)-1*H*-1,2,3-triazol-5-yl)acetate (4k'**):**



The compound **4k'** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5: 1 to 1:1). **4k'** was obtained as a light-yellow oil (35.2 mg, 45% yield). ¹H NMR (500 MHz, CDCl₃) δ

5.86–5.75 (m, 2H), 4.21–4.10 (m, 3H), 3.97–3.84 (m, 2H), 3.66 (d, *J* = 17.4 Hz, 1H), 3.54 (s, 2H), 3.05–2.91 (m, 3H), 2.63–2.55 (m, 1H), 2.34 (d, *J* = 17.6 Hz, 1H), 2.14–2.06 (m, 1H), 1.98 (d, *J* = 18.1 Hz, 1H), 1.26 (t, *J* = 7.1 Hz, 3H), 0.18 (s, 9H); ¹³C NMR (126 MHz, CDCl₃) δ 181.50, 168.77, 141.25, 129.46, 125.52, 123.62, 70.48, 61.68, 44.80, 39.05, 34.82, 29.66, 28.83, 22.18, 14.25, -1.62; HRMS (ESI) calcd for C₁₉H₃₀N₃O₄Si [M + H]⁺ 392.2006, found 392.1996.

2,5-Dioxopyrrolidin-1-yl

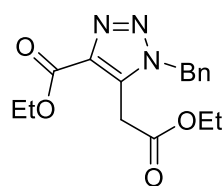


3-(1-benzyl-5-(2-ethoxy-2-oxoethyl)-1*H*-1,2,3-triazol-4-yl)propanoate (4l**):**

The compound **4l** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to 1:2).

4l was obtained as a yellow oil (60.1 mg, 73% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.31 (t, *J* = 7.9 Hz, 3H), 7.13 (d, *J* = 7.1 Hz, 2H), 5.56 (s, 2H), 4.02 (q, *J* = 7.1 Hz, 2H), 3.50 (s, 2H), 3.12 (t, *J* = 6.9 Hz, 2H), 3.04 (t, *J* = 7.5 Hz, 2H), 2.81 (s, 4H), 1.17 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.99, 168.29, 167.98, 144.26, 134.62, 129.13, 128.52, 127.40, 127.23, 61.86, 52.58, 30.60, 28.83, 25.70, 20.15, 14.12; HRMS (ESI) calcd for C₁₆H₂₀N₃O₄ [M – OSu + H]⁺ 318.1454, found 318.1454.

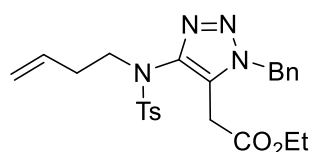
Ethyl 1-benzyl-5-(2-ethoxy-2-oxoethyl)-1*H*-1,2,3-triazole-4-carboxylate (4m**):**



The compound **4m** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1 to 1:1). **4m** was obtained as a mixture of triazole, yellow oil (80.0 mg, 30% yield of **4m**). Characteristic data for **4m**:

¹H NMR (500 MHz, CDCl₃) δ 5.57 (s, 2H), 4.00 (q, *J* = 7.1 Hz, 2H), 3.90 (s, 2H), 1.12 (t, *J* = 7.0 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 167.19, 161.29, 140.53, 129.23, 129.09, 128.21, 127.34, 61.75, 54.38, 52.53, 29.24, 13.95; HRMS (ESI) calcd for C₁₆H₂₀N₃O₄ [M + H]⁺ 318.1454, found 318.1454.

Ethyl 2-(1-benzyl-4-((N-(but-3-en-1-yl)-4-methylphenyl)sulfonamido)-1*H*-1,2,3-triazol-5-yl)acetate (4n**):**

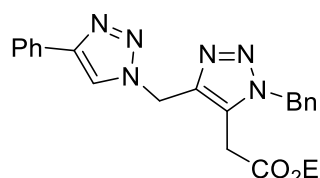


The compound **4n** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **4n** was obtained as a yellow oil (48.9 mg, 52% yield). ¹H NMR (500 MHz, CDCl₃) δ

7.50 (d, *J* = 8.1 Hz, 2H), 7.39–7.34 (m, 3H), 7.27 (d, *J* = 7.7 Hz, 2H), 7.15 (d, *J* = 6.5 Hz, 2H),

5.62 (s, 2H), 4.94–4.87 (m, 2H), 4.13 (q, $J = 7.1$ Hz, 2H), 3.67 (t, $J = 7.0$ Hz, 2H), 3.61 (s, 2H), 2.41 (s, 3H), 2.15 (q, $J = 6.6$ Hz, 2H), 1.24 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.19, 144.12, 143.01, 134.74, 134.50, 134.20, 129.75, 129.25, 128.76, 128.06, 127.44, 119.77, 116.98, 61.77, 53.63, 49.53, 32.62, 28.85, 21.74, 14.20; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{29}\text{N}_4\text{O}_4\text{S}$ $[\text{M} + \text{H}]^+$ 469.1910, found 469.1900.

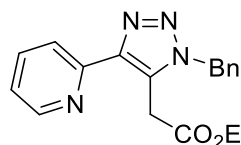
Ethyl 2-(1-benzyl-4-((4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-1*H*-1,2,3-triazol-5-



yl)acetate (4o): The compound **4o** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to 1:2). **4o** was obtained as a brown oil (56.3 mg, 70% yield). ^1H NMR (500

MHz, CDCl_3) δ 7.91 (s, 1H), 7.77 (d, $J = 7.3$ Hz, 2H), 7.38 (t, $J = 7.1$ Hz, 2H), 7.32–7.27 (m, 4H), 7.14 (d, $J = 5.7$ Hz, 2H), 5.67 (s, 2H), 5.54 (s, 2H), 3.93 (q, $J = 6.8$ Hz, 2H), 3.64 (s, 2H), 1.08 (t, $J = 6.9$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 167.34, 148.24, 140.99, 133.84, 130.45, 129.63, 129.16, 128.84, 128.74, 128.22, 127.46, 125.68, 119.87, 62.00, 52.77, 45.00, 28.62, 13.91; HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{23}\text{N}_6\text{O}_2$ $[\text{M} + \text{H}]^+$ 403.1882, found 403.1875.

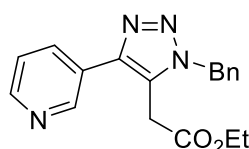
Ethyl 2-(1-benzyl-4-(pyridin-2-yl)-1*H*-1,2,3-triazol-5-yl)acetate (4p): The compound **4p**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **4p** was obtained as light-yellow solid (24.9 mg, 37% yield). ^1H NMR (500

MHz, CDCl_3) δ 8.51 (d, $J = 4.0$ Hz, 1H), 8.22 (d, $J = 7.9$ Hz, 1H), 7.74 (t, $J = 7.7$ Hz, 1H), 7.36–7.28 (m, 3H), 7.20 (d, $J = 7.0$ Hz, 2H), 7.17–7.13 (m, 1H), 5.62 (s, 2H), 4.22 (s, 2H), 4.03 (q, $J = 7.1$ Hz, 2H), 1.14 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.71, 151.59, 148.96, 144.96, 136.68, 134.56, 129.43, 129.14, 128.57, 127.42, 122.33, 120.95, 61.43, 52.42, 30.02, 14.15; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{19}\text{N}_4\text{O}_2$ $[\text{M} + \text{H}]^+$ 323.1508, found 323.1505.

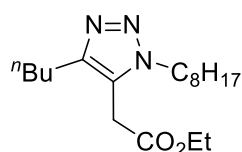
Ethyl 2-(1-benzyl-4-(pyridin-3-yl)-1*H*-1,2,3-triazol-5-yl)acetate (4q): The compound **4q**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:5). **4q** was obtained as a mixture of triazole, light-yellow solid (43.2 mg, 22% yield of **4q**). Characteristic data for **4q**: ^1H NMR (500 MHz, CDCl_3) δ

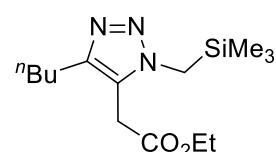
8.10 (d, $J = 5.4$ Hz, 1H), 7.21 (d, $J = 6.7$ Hz, 2H), 5.66 (s, 2H), 4.06 (q, $J = 6.9$ Hz, 2H), 3.66 (s, 2H), 1.18 (t, $J = 6.9$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 167.76, 148.99, 146.80, 145.69, 144.22, 134.17, 128.97, 128.18, 127.46, 62.14, 52.72, 29.54, 14.05; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{19}\text{N}_4\text{O}_2$ $[\text{M} + \text{H}]^+$ 323.1508, found 323.1505.

Ethyl 2-(4-butyl-1-octyl-1*H*-1,2,3-triazol-5-yl)acetate (5a): The compound **5a** was prepared



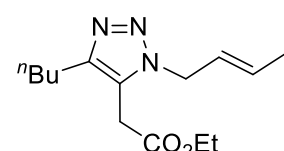
according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **5a** was obtained as a light-yellow oil (40.0 mg, 62% yield). ¹H NMR (500 MHz, CDCl₃) δ 4.22 (t, *J* = 7.3 Hz, 2H), 4.15 (q, *J* = 6.9 Hz, 2H), 3.61 (s, 2H), 2.62 (t, *J* = 7.5 Hz, 2H), 1.90–1.82 (m, 2H), 1.68–1.60 (m, 2H), 1.39–1.21 (m, *J* = 24.9, 13.9, 7.0 Hz, 20H), 0.91 (t, *J* = 7.2 Hz, 3H), 0.86 (t, *J* = 6.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.43, 146.45, 125.80, 61.83, 48.49, 31.83, 31.69, 30.12, 29.18, 29.06, 26.77, 24.89, 22.71, 22.52, 14.17, 13.96; HRMS (ESI) calcd for C₁₈H₃₄N₃O [M + H]⁺ 324.2651, found 324.2648.

Ethyl 2-(4-butyl-1-((trimethylsilyl)methyl)-1*H*-1,2,3-triazol-5-yl)acetate (5b): The



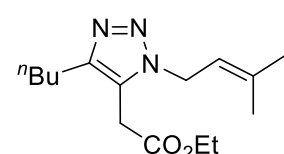
compound **5b** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5:1 to 1:1). **5b** was obtained as a light-yellow oil (41.0 mg, 69% yield). ¹H NMR (500 MHz, CDCl₃) δ 4.11 (q, *J* = 7.1 Hz, 2H), 3.57 (s, 2H), 3.56 (s, 2H), 2.56 (t, *J* = 7.6 Hz, 2H), 1.61 (q, *J* = 7.3 Hz, 2H), 1.31 (m, 2H), 1.20 (t, *J* = 7.1 Hz, 3H), 0.87 (t, *J* = 7.3 Hz, 3H), 0.14 (s, 9H); ¹³C NMR (125 MHz, CDCl₃) δ 168.3, 145.6, 126.4, 61.5, 38.8, 31.4, 29.0, 24.8, 22.3, 14.0, 13.8, -1.7; HRMS (ESI) calcd for C₁₄H₂₈N₃O₂Si [M + H]⁺ 298.1951, found 298.1953.

Ethyl 2-(1-(but-2-en-1-yl)-4-butyl-1*H*-1,2,3-triazol-5-yl)acetate (5c): The compound **5c** was



prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to 2:1). **5c** was obtained as a light-yellow oil (21.5 mg, 40% yield). ¹H NMR (500 MHz, CDCl₃) δ 5.70–5.60 (m, 1H), 5.60–5.50 (m, 1H), 4.88 (d, *J* = 5.5 Hz, 2H), 4.13 (q, *J* = 7.1 Hz, 2H), 3.61 (s, 2H), 2.60 (t, *J* = 7.7 Hz, 2H), 1.68 (d, *J* = 5.7 Hz, 3H), 1.65–1.59 (m, 2H), 1.36–1.31 (m, 2H), 1.23 (t, *J* = 7.1 Hz, 3H), 0.90 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.41, 146.92, 130.71, 129.37, 124.56, 123.69, 61.72, 50.73, 31.70, 28.93, 24.81, 22.47, 17.72, 14.18, 13.93; HRMS (ESI) calcd for C₁₄H₂₄N₃O₂ [M + H]⁺ 266.1869, found 266.1872.

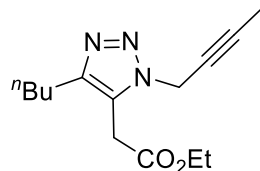
Ethyl 2-(4-butyl-1-(3-methylbut-2-en-1-yl)-1*H*-1,2,3-triazol-5-yl)acetate (5d): The



compound **5d** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **5d** was obtained as a light-yellow oil (26.9 mg, 48% yield). ¹H NMR (500 MHz, CDCl₃) δ 5.27 (t, *J* = 6.1 Hz, 1H), 4.96 (d, *J* = 6.7 Hz, 2H), 4.15 (t, *J* = 7.0 Hz, 2H), 3.60 (s, 2H), 2.61 (t, *J* = 7.7 Hz, 2H), 1.79 (s, 3H), 1.75 (s, 3H), 1.68–1.61 (m, 2H), 1.38–1.33 (m, 2H), 1.25 (t, *J* = 7.0 Hz, 3H), 0.91 (t, *J* = 7.3 Hz,

3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.53, 146.95, 138.05, 125.81, 118.12, 61.76, 47.15, 31.77, 28.91, 25.77, 24.89, 22.54, 18.21, 14.23, 13.99; HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{26}\text{N}_3\text{O}_2$ [$\text{M} + \text{H}$] $^+$ 280.2025, found 280.2020.

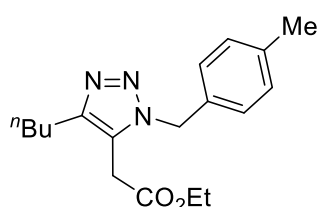
Ethyl 2-(1-(but-2-yn-1-yl)-4-butyl-1H-1,2,3-triazol-5-yl)acetate (5e): The compound **5e** was



prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **5e** was obtained as a yellow oil (40.5 mg, 77% yield). ^1H NMR (500 MHz, CDCl_3) δ 5.13 (s, 2H), 4.17 (q, $J = 7.1$ Hz, 2H), 3.80 (s, 2H), 2.62 (t, $J = 7.6$ Hz,

2H), 1.82 (s, 3H), 1.68–1.62 (m, 2H), 1.37–1.33 (m, 2H), 1.26 (t, $J = 7.1$ Hz, 3H), 0.92 (t, $J = 7.3$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.50, 147.16, 126.18, 83.03, 71.27, 61.84, 39.16, 31.71, 28.99, 24.84, 22.50, 14.24, 13.97, 3.67; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{22}\text{N}_3\text{O}_2$ [$\text{M} + \text{H}$] $^+$ 264.1712, found 264.1712.

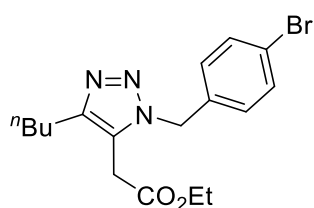
Ethyl 2-(4-butyl-1-(4-methylbenzyl)-1H-1,2,3-triazol-5-yl)acetate (5f): The compound **5f**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5:1 to 1:1). **5f** was obtained as a yellow oil (44.7 mg, 71% yield). ^1H NMR (500 MHz, CDCl_3) δ 7.12 (d, $J = 7.4$ Hz, 2H), 7.04 (d, $J = 7.4$ Hz, 2H), 5.52 (s, 2H), 4.05 (q, $J = 6.9$ Hz, 2H), 3.43 (s, 2H),

2.60 (t, $J = 7.5$ Hz, 2H), 2.31 (s, 3H), 1.68–1.60 (m, 2H), 1.35–1.31 (m, 2H), 1.19 (t, $J = 7.0$ Hz, 3H), 0.90 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.33, 147.30, 138.28, 131.85, 129.73, 127.43, 126.17, 61.72, 52.33, 31.69, 28.94, 24.86, 22.49, 21.21, 14.12, 13.95; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{26}\text{N}_3\text{O}_2$ [$\text{M} + \text{H}$] $^+$ 316.2025, found 316.2024.

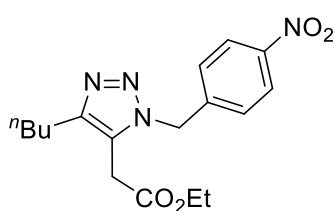
Ethyl 2-(1-(4-bromobenzyl)-4-butyl-1H-1,2,3-triazol-5-yl)acetate (5g): The compound **5g**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1 to 1:1). **5g** was obtained as a light-yellow oil (50.4 mg, 66% yield). ^1H NMR (500 MHz, CDCl_3) δ 7.44 (d, $J = 8.2$ Hz, 2H), 7.02 (d, $J = 8.1$ Hz, 2H), 5.50 (s, 2H), 4.03 (q, $J = 7.1$ Hz, 2H), 3.43 (s, 2H),

2.61 (t, $J = 7.5$ Hz, 2H), 1.69–1.58 (m, 2H), 1.37–1.30 (m, 2H), 1.18 (t, $J = 7.1$ Hz, 3H), 0.90 (t, $J = 7.3$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.12, 147.49, 133.87, 132.21, 129.13, 126.24, 122.55, 61.84, 51.76, 31.62, 28.91, 24.82, 22.45, 14.09, 13.91; HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{23}\text{N}_3\text{O}_2\text{Br}$ [$\text{M} + \text{H}$] $^+$ 380.0974, found 380.0963.

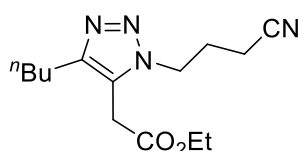
Ethyl 2-(4-butyl-1-(4-nitrobenzyl)-1*H*-1,2,3-triazol-5-yl)acetate (5h): The compound **5h**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **5h** was obtained as a light-yellow oil (45.1 mg, 65% yield). ¹H NMR (500 MHz, CDCl₃) δ 8.18 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.4 Hz, 2H), 5.66 (s, 2H), 4.05 (q, *J* = 7.1 Hz, 2H),

3.47 (s, 2H), 2.63 (t, *J* = 7.7 Hz, 2H), 1.70–1.62 (m, 2H), 1.39–1.31 (m, 2H), 1.18 (t, *J* = 7.1 Hz, 3H), 0.91 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.04, 148.02, 147.54, 142.08, 128.26, 126.38, 124.27, 61.99, 51.41, 31.61, 31.55, 28.94, 24.83, 22.46, 14.12, 13.92; HRMS (ESI) calcd for C₁₇H₂₃N₄O₄[M + H]⁺ 347.1719, found 347.1716.

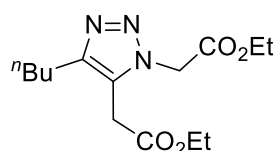
Ethyl 2-(4-butyl-1-(3-cyanopropyl)-1*H*-1,2,3-triazol-5-yl)acetate (5i): The compound **5i**



was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to 0:1). **5i** was obtained as a light-yellow oil (36.7 mg, 66% yield).

¹H NMR (500 MHz, CDCl₃) δ 4.35 (t, *J* = 6.4 Hz, 2H), 4.17 (q, *J* = 7.1 Hz, 2H), 3.65 (s, 2H), 2.61 (t, *J* = 7.5 Hz, 2H), 2.47 (t, *J* = 6.9 Hz, 2H), 2.38–2.32 (m, 2H), 1.67–1.59 (m, 2H), 1.38–1.31 (m, 2H), 1.26 (t, *J* = 7.1 Hz, 3H), 0.91 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.34, 146.70, 126.46, 118.70, 62.06, 46.25, 31.59, 28.80, 25.39, 24.76, 22.41, 14.82, 14.17, 13.89; HRMS (ESI) calcd for C₁₄H₂₃N₄O₂[M + H]⁺ 279.1821, found 279.1824.

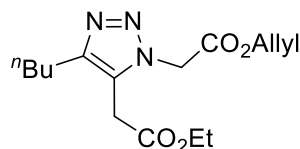
Diethyl 2,2'-(4-butyl-1*H*-1,2,3-triazole-1,5-diyl)diacetate (5j): The compound **5j** was



prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 5: 1 to 1:1). **5j** was obtained as a mixture of triazole, light-yellow oil (45.0 mg, 76% yield of **5j**). Characteristic data for **5j**: ¹H NMR (500 MHz, CDCl₃) δ 5.17

(s, 2H), 4.26–4.18 (m, 2H), 4.12 (q, *J* = 7.1 Hz, 2H), 3.63 (s, 2H), 2.63 (t, *J* = 7.6 Hz, 2H), 1.67–1.59 (m, 2H), 0.89 (t, *J* = 7.2 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.33, 127.10; HRMS (ESI) calcd for C₁₄H₂₄N₃O₄ [M + H]⁺ 298.1767, found 298.1764.

Allyl 2-(4-butyl-5-(2-ethoxy-2-oxoethyl)-1*H*-1,2,3-triazol-1-yl)acetate (5k): The compound

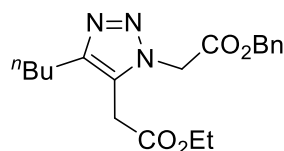


5k was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1 to 1:1). **5k** was obtained as a mixture of triazole, yellow oil (45.2 mg, 73% yield of **5k**). ¹H NMR (500 MHz, CDCl₃) δ 5.91–

5.83 (m, *J* = 7.4, 5.7, 3.8 Hz, 1H), 5.33–5.25 (m, 2H), 5.23 (s, 2H), 4.65 (d, *J* = 5.4 Hz, 2H), 4.13 (q, *J* = 7.0 Hz, 2H), 3.64 (s, 2H), 2.64 (t, *J* = 7.5 Hz, 2H), 1.69–1.61 (m, 2H), 1.36–1.31

(m, 2H), 1.23 (t, $J = 7.1$ Hz, 3H), 0.90 (t, $J = 7.2$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.40, 166.49, 146.86, 131.04, 127.12, 122.03, 119.70, 119.61, 66.74, 61.95, 49.66, 31.65, 29.01, 24.81, 22.38, 14.14, 13.92; HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{24}\text{N}_3\text{O}_4$ $[\text{M} + \text{H}]^+$ 310.1767, found 310.1766.

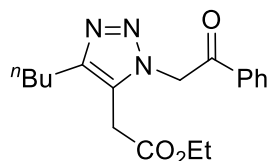
Benzyl 2-(4-butyl-5-(2-ethoxy-2-oxoethyl)-1H-1,2,3-triazol-1-yl)acetate (5l): The



compound **5l** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **5l** was obtained as a mixture triazole, yellow oil (50.8 mg, 71% yield of **5l**). ^1H NMR (500 MHz, CDCl_3) δ 7.36–7.33 (m, 3H),

7.32–7.29 (m, 2H), 5.24 (s, 2H), 5.19 (s, 2H), 4.10 (q, $J = 7.1$ Hz, 2H), 3.61 (s, 2H), 2.64 (t, $J = 7.6$ Hz, 2H), 1.68–1.61 (m, 2H), 1.38–1.32 (m, $J = 14.8, 6.8$ Hz, 2H), 1.21 (t, $J = 7.1$ Hz, 3H), 0.91 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.35, 166.62, 146.83, 134.70, 128.79, 128.50, 127.12, 122.04, 67.92, 61.90, 50.82, 49.70, 31.64, 28.95, 24.78, 22.35, 13.91; HRMS (ESI) calcd for $\text{C}_{19}\text{H}_{26}\text{N}_3\text{O}_4$ $[\text{M} + \text{H}]^+$ 360.1923, found 360.1917.

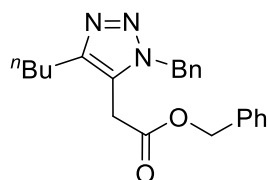
Ethyl 2-(4-butyl-1-(2-oxo-2-phenylethyl)-1H-1,2,3-triazol-5-yl)acetate (5m):



The compound **5m** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **5m** was obtained as a light-yellow oil (44.1 mg, 67% yield). ^1H NMR (500 MHz, CDCl_3) δ 8.00 (d, $J = 7.6$ Hz, 2H), 7.66

(t, $J = 7.3$ Hz, 1H), 7.53 (t, $J = 7.6$ Hz, 2H), 5.94 (s, 2H), 4.11 (q, $J = 7.6$ Hz, 2H), 3.61 (s, 2H), 2.68 (t, $J = 7.6$ Hz, 2H), 1.74–1.65 (m, 2H), 1.43–1.33 (m, 2H), 1.21 (t, $J = 7.1$ Hz, 3H), 0.93 (t, $J = 7.3$ Hz, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 191.06, 168.74, 146.87, 134.63, 134.22, 129.25, 128.33, 127.67, 61.90, 54.72, 31.73, 29.19, 24.90, 22.46, 14.13, 13.98; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{24}\text{N}_3\text{O}_3$ $[\text{M} + \text{H}]^+$ 330.1818, found 330.1817.

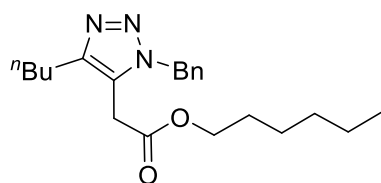
Benzyl 2-(1-benzyl-4-butyl-1H-1,2,3-triazol-5-yl)acetate (6a): The compound **6a** was



prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **6a** was obtained as a light-yellow oil (45.0 mg, 62% yield). ^1H NMR (500 MHz, CDCl_3) δ 7.38–7.34 (m, 3H), 7.30–7.28 (m, 3H), 7.27–7.24 (m,

2H), 7.11–7.05 (m, 2H), 5.52 (s, 2H), 5.02 (s, 2H), 3.47 (s, 2H), 2.59 (t, $J = 7.5$ Hz, 2H), 1.65–1.57 (m, 2H), 1.35–1.26 (m, 2H), 0.87 (t, $J = 7.2$ Hz, 2H); ^{13}C NMR (126 MHz, CDCl_3) δ 168.10, 147.43, 135.14, 134.78, 129.10, 128.81, 128.53, 128.48, 127.42, 126.05, 67.49, 52.53, 31.66, 28.93, 24.86, 22.50, 13.93; HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{26}\text{N}_3\text{O}_2$ $[\text{M} + \text{H}]^+$ 364.2025, found 364.2019.

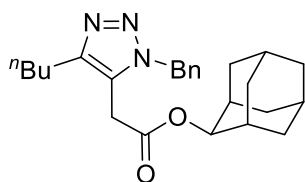
Hexyl 2-(1-benzyl-4-butyl-1*H*-1,2,3-triazol-5-yl)acetate (6b): The compound **6b** was



prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1). **6b** was obtained as a light-yellow oil (42.5 mg, 60% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.34–7.28

(m, 3H), 7.14 (d, *J* = 7.0 Hz, 2H), 5.56 (s, 2H), 3.97 (t, *J* = 6.7 Hz, 2H), 3.44 (s, 2H), 2.61 (t, *J* = 7.6 Hz, 2H), 1.69–1.59 (m, 2H), 1.57–1.49 (m, 2H), 1.35–1.24 (m, 8H), 0.93–0.89 (m, 3H), 0.89–0.86 (m, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 168.36, 147.30, 134.91, 129.09, 128.45, 127.40, 126.25, 65.93, 52.45, 31.69, 31.44, 30.75, 28.95, 28.47, 25.56, 24.88, 22.61, 22.52, 14.08, 13.95; HRMS (ESI) calcd for C₂₁H₃₂N₃O₂ [M + H]⁺ 358.2495, found 358.2485.

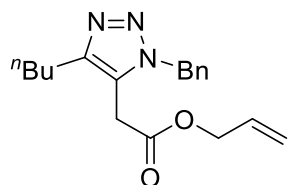
(1*R*,3*S*,5*r*,7*r*)-Adamantan-2-yl 2-(1-benzyl-4-butyl-1*H*-1,2,3-triazol-5-yl)acetate (6c): The



compound **6c** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1). **6c** was obtained as a light-yellow oil (45.0 mg, 55% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.34–7.28 (m, 3H), 7.15 (d,

J = 6.8 Hz, 2H), 5.58 (s, 2H), 4.86 (s, 1H), 3.47 (s, 2H), 2.63 (t, *J* = 7.6 Hz, 2H), 1.90–1.87 (m, 2H), 1.85–1.80 (m, *J* = 7.9 Hz, 4H), 1.80–1.72 (m, 6H), 1.68–1.62 (m, 2H), 1.54–1.48 (m, 2H), 1.38–1.32 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 167.71, 147.22, 134.91, 129.11, 128.46, 127.41, 126.48, 78.89, 52.44, 37.29, 36.33, 31.85, 31.78, 29.39, 27.11, 26.93, 24.93, 13.94; HRMS (ESI) calcd for C₂₅H₃₄N₃O₂ [M + H]⁺ 408.2651, found 408.2641.

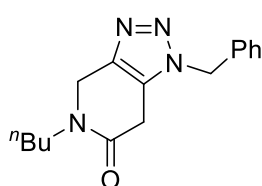
Allyl 2-(1-benzyl-4-butyl-1*H*-1,2,3-triazol-5-yl)acetate (6d): The compound **6d** was



prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 3:1). **6d** was obtained as a light-yellow oil (45.1 mg, 73% yield). ¹H NMR (500

MHz, CDCl₃) δ 7.37–7.29 (m, *J* = 7.2 Hz, 3H), 7.14 (d, *J* = 6.1 Hz, 2H), 5.85–5.76 (m, 1H), 5.57 (s, 2H), 5.25 (d, *J* = 6.0 Hz, 1H), 5.23 (s, 1H), 4.47 (d, *J* = 5.4 Hz, 2H), 3.47 (s, 2H), 2.62 (t, *J* = 7.6 Hz, 2H), 1.70–1.62 (m, 2H), 1.39–1.30 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 167.96, 147.45, 134.87, 131.40, 129.27, 129.13, 128.50, 127.44, 126.07, 119.33, 66.32, 52.53, 31.69, 28.88, 24.90, 22.53, 13.97; HRMS (ESI) calcd for C₁₈H₂₄N₃O₂ [M + H]⁺ 314.1868, found 314.1864.

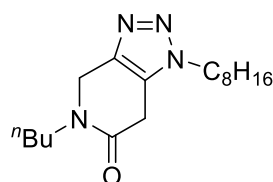
1-Benzyl-5-butyl-1,4,5,7-tetrahydro-6*H*-[1,2,3]triazolo[4,5-*c*]pyridin-6-one (8a): The



compound **8a** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8a** was obtained as a light-yellow oil (43.2 mg, 76% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.34 (m, 3H), 7.22 (m, 2H), 5.46 (s, 2H),

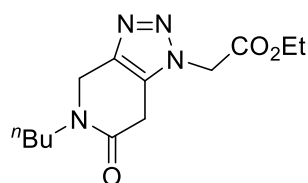
4.57 (t, $J = 2.7$ Hz, 2H), 3.47 (m, 2H), 3.37 (t, $J = 2.6$ Hz, 2H), 1.57 (m, 2H), 1.33 (m, 2H), 0.92 (t, $J = 7.3$ Hz, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ 163.9, 137.3, 133.7, 129.2, 128.9, 127.8, 110.2, 52.6, 48.0, 45.4, 28.9, 28.3, 20.1, 13.8; HRMS (ESI) calcd for $\text{C}_{16}\text{H}_{21}\text{N}_4\text{O}$ [$\text{M} + \text{H}$] $^+$ 285.1710, found 285.1711.

5-Butyl-1-octyl-1,4,5,7-tetrahydro-6H-[1,2,3]triazolo[4,5-c]pyridin-6-one (8b): The



compound **8b** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8b** was obtained as a light-yellow oil (44.1 mg, 72% yield). ^1H NMR (500 MHz, CDCl_3) δ 4.60 (s, 2H), 4.22 (t, $J = 7.1$ Hz, 2H), 3.61 (s, 2H), 3.53 (m, 2H), 1.86 (m, 2H), 1.61 (m, 2H), 1.31 (m, 12H), 0.94 (t, $J = 7.3$ Hz, 3H), 0.86 (t, $J = 6.6$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 164.0, 136.6, 127.5, 48.4, 48.0, 45.4, 31.6, 29.8, 29.0, 28.9, 28.3, 26.5, 22.5, 20.1, 14.0, 13.8; HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{31}\text{N}_4\text{O}$ [$\text{M} + \text{H}$] $^+$ 307.2492, found 307.2501.

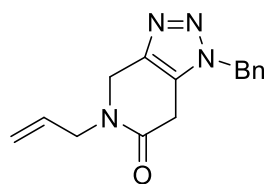
Ethyl 2-(5-butyl-6-oxo-4,5,6,7-tetrahydro-1H-[1,2,3]triazolo[4,5-c]pyridin-1-yl)acetate (8c): The compound **8c** was prepared according to the general



procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8c** was obtained as a light-yellow oil (40.1 mg, 73% yield). ^1H NMR (500 MHz, CDCl_3) δ 5.06 (s, 2H),

4.61 (s, 2H), 4.26 (q, $J = 7.0$ Hz, 2H), 3.59 (s, 2H), 3.52 (m, 2H), 1.61 (m, 2H), 1.36 (td, $J = 14.9, 7.4$ Hz, 2H), 1.30 (t, $J = 7.1$ Hz, 3H), 0.94 (t, $J = 7.3$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 165.8, 163.8, 137.2, 129.1, 62.6, 49.1, 48.0, 45.3, 28.9, 28.1, 20.1, 14.1, 13.8; HRMS (ESI) calcd for $\text{C}_{13}\text{H}_{21}\text{N}_4\text{O}_3$ [$\text{M} + \text{H}$] $^+$ 281.1608, found 281.1609.

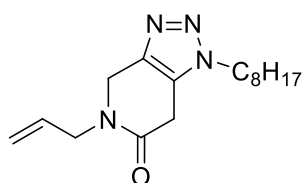
5-Allyl-1-benzyl-1,4,5,7-tetrahydro-6H-[1,2,3]triazolo[4,5-c]pyridin-6-one (8d): The



compound **8d** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8d** was obtained as a light-yellow oil (41.9 mg, 78% yield). ^1H NMR (500 MHz, CDCl_3) δ 7.35 (m, 3H), 7.22 (m, 2H), 5.77 (tdd, $J =$

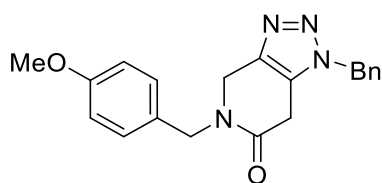
16.6, 10.8, 6.1 Hz, 1H), 5.48 (s, 2H), 5.22 (m, 2H), 4.55 (t, $J = 2.8$ Hz, 2H), 4.12 (d, $J = 6.1$ Hz, 2H), 3.40 (t, $J = 2.8$ Hz, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ 163.9, 137.3, 133.6, 131.6, 129.3, 128.9, 127.8, 127.7, 118.6, 52.6, 50.3, 44.8, 28.3; HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{17}\text{N}_4\text{O}$ [$\text{M} + \text{H}$] $^+$ 269.1397, found 269.1400.

5-Allyl-1-octyl-1,4,5,7-tetrahydro-6H-[1,2,3]triazolo[4,5-c]pyridin-6-one (8e): The



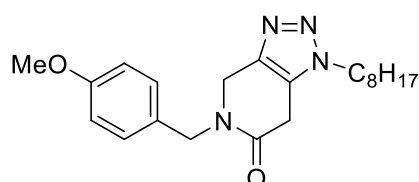
compound **8e** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8e** was obtained as a light-yellow oil (42.9 mg, 74% yield). ¹H NMR (500 MHz, CDCl₃) δ 5.85–5.73 (m, 1H), 5.23 (m, 2H), 4.56 (s, 2H), 4.22 (t, *J* = 7.1 Hz, 2H), 4.15 (d, *J* = 6.0 Hz, 2H), 3.63 (s, 2H), 1.85 (m, 2H), 1.26 (m, 10H), 0.85 (t, *J* = 6.7 Hz, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 164.0, 136.6, 131.6, 127.3, 118.6, 50.3, 48.4, 44.9, 31.7, 29.8, 29.0, 28.9, 28.3, 26.5, 22.5, 14.0; HRMS (ESI) calcd for C₁₆H₂₇N₄O [M + H]⁺ 291.2179, found 291.2188.

1-Benzyl-5-(4-methoxybenzyl)-1,4,5,7-tetrahydro-6H-[1,2,3]triazolo[4,5-c]pyridin-6-one (8f): The compound **8f** was prepared according to the



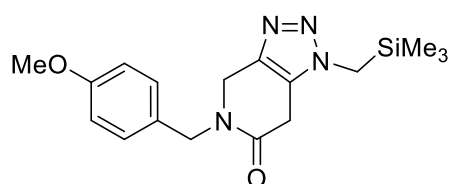
general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8f** was obtained as a light-yellow oil (53.6 mg, 77% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.35 (m, 3H), 7.23 (m, 4H), 6.84 (d, *J* = 7.3 Hz, 2H), 5.46 (s, 2H), 4.64 (s, 2H), 4.49 (s, 2H), 3.77 (s, 3H), 3.43 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ 164.1, 159.3, 137.2, 133.6, 130.1, 129.8, 129.2, 129.1, 129.1, 128.9, 128.9, 128.1, 128.0, 127.8, 127.6, 114.1, 55.3, 52.6, 50.5, 44.6, 28.4; HRMS (ESI) calcd for C₂₀H₂₁N₄O₂ [M + H]⁺ 349.1659, found 349.1662.

5-(4-Methoxybenzyl)-1-octyl-1,4,5,7-tetrahydro-6H-[1,2,3]triazolo[4,5-c]pyridin-6-one (8g): The compound **8g** was prepared according to the



general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8g** was obtained as a light-yellow oil (57.8 mg, 78% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.26 (d, *J* = 8.4 Hz, 2H), 6.86 (d, *J* = 8.4 Hz, 2H), 4.69 (s, 2H), 4.51 (s, 2H), 4.22 (t, *J* = 7.2 Hz, 2H), 3.79 (s, 3H), 3.67 (s, 2H), 1.85 (m, 2H), 1.33–1.21 (m, 10H), 0.87 (t, *J* = 6.8 Hz, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 164.2, 159.3, 136.6, 129.9, 128.1, 127.2, 114.1, 55.3, 50.5, 48.4, 44.7, 31.7, 29.8, 29.0, 28.9, 28.4, 26.5, 22.6, 14.0; HRMS (ESI) calcd for C₂₁H₃₁N₄O₂ [M + H]⁺ 371.2442, found 371.2444.

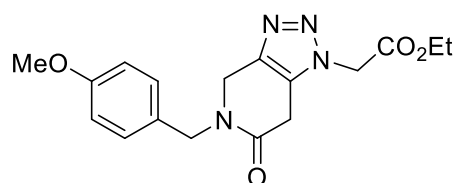
5-(4-Methoxybenzyl)-1-((trimethylsilyl)methyl)-1,4,5,7-tetrahydro-6H-



[1,2,3]triazolo[4,5-c]pyridin-6-one (8h): The compound **8h** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8h** was obtained as a light-yellow oil (55.1 mg, 80% yield). ¹H NMR (500 MHz, CDCl₃) δ 7.26 (d, *J* =

8.5 Hz, 2H), 6.86 (d, $J = 8.5$ Hz, 2H), 4.69 (s, 2H), 4.51 (t, $J = 2.7$ Hz, 2H), 3.79 (s, 3H), 3.62 (m, 4H), 0.17 (s, 9H); ^{13}C NMR (125 MHz, CDCl_3) δ 164.5, 159.3, 136.1, 129.9, 128.2, 127.6, 114.1, 55.3, 50.5, 44.9, 39.3, 28.5, -2.0; HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{25}\text{N}_4\text{O}_2$ Si $[\text{M} + \text{H}]^+$ 345.1741, found 345.1746.

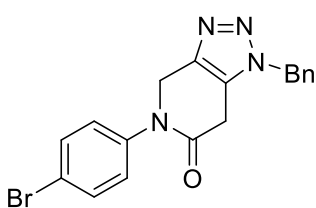
Ethyl 2-(5-(4-methoxybenzyl)-6-oxo-4,5,6,7-tetrahydro-1H-[1,2,3]triazolo[4,5-c]pyridin-



1-yl)acetate (8i): The compound **8i** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8i** was obtained as a light-yellow oil (52.5 mg, 76%

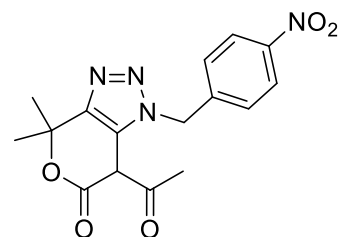
yield). ^1H NMR (500 MHz, CDCl_3) δ 7.25 (d, $J = 8.6$ Hz, 2H), 6.86 (d, $J = 8.4$ Hz, 2H), 5.06 (s, 2H), 4.69 (s, 2H), 4.52 (s, 2H), 4.26 (q, $J = 7.1$ Hz, 2H), 3.78 (s, 3H), 3.67 (s, 2H), 1.30 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 165.8, 164.0, 159.3, 137.1, 129.8, 128.8, 128.1, 114.2, 62.6, 55.3, 50.5, 49.1, 44.6, 28.2, 14.1; HRMS (ESI) calcd for $\text{C}_{17}\text{H}_{21}\text{N}_4\text{O}_4$ $[\text{M} + \text{H}]^+$ 345.1557, found 345.1558.

1-Benzyl-5-(4-bromophenyl)-1,4,5,7-tetrahydro-6H-[1,2,3]triazolo[4,5-c]pyridin-6-one



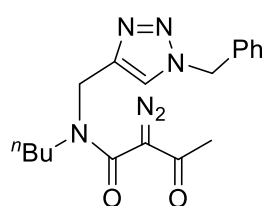
(8j): The compound **8j** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1). **8j** was obtained as a light-yellow oil (39.7 mg, 52% yield). ^1H NMR (500 MHz, CDCl_3) δ 7.58 (d, $J = 8.2$ Hz, 2H), 7.39 (d, $J = 6.6$ Hz, 2H), 7.27 (d, $J = 6.6$ Hz, 2H), 7.15 (d, $J = 8.2$ Hz, 2H), 5.53 (s, 2H), 4.89 (s, 2H), 3.56 (s, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ 164.7, 141.2, 137.2, 133.5, 132.9, 129.3, 129.1, 129.0, 128.4, 127.8, 127.6, 121.6, 52.7, 49.1, 28.8; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{16}\text{BrN}_4\text{O}$ $[\text{M} + \text{H}]^+$ 383.0502, found 383.0512.

7-Acetyl-4,4-dimethyl-1-(4-nitrobenzyl)-4,7-dihydropyrano[3,4-d][1,2,3]triazol-6(1H)-



one (8k): The compound **8k** was prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 1:1 to 1:3). **8k** was obtained as a light-yellow oil (18.6 mg, 27% yield). ^1H NMR (500 MHz, CDCl_3) δ 8.17 (d, $J = 8.6$ Hz, 2H), 7.50 (d, $J = 8.6$ Hz, 2H), 5.91 (s, 1H), 4.99 (s, 2H), 2.40 (s, 3H), 2.08 (s, 3H), 1.89 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 167.89, 164.40, 159.49, 147.52, 144.65, 128.60, 124.05, 117.33, 48.49, 28.15, 27.66, 20.93; HRMS (ESI) calcd for $\text{C}_{16}\text{H}_{17}\text{N}_2\text{O}_5$ $[\text{M} - \text{N}_2 + \text{H}]^+$ 317.1137, found 317.1135.

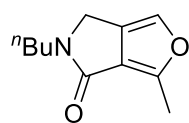
***N*-((1-Benzyl-1*H*-1,2,3-triazol-4-yl)methyl)-*N*-butyl-2-diazo-3-oxobutanamide (**8I'**):** The



compound **8I'** was prepared according to the general procedure with 30 mol % of dtbpy as additive and was purified by flash column chromatography (hexane: ethyl acetate = 2:1 to 1:1). **8I'** was obtained as a light-yellow oil (34.0 mg, 48%). ¹H NMR (500 MHz, CDCl₃) δ 7.57 (s, 1H), 7.36–7.31 (m, 3H), 7.24 (d, *J* = 6.1 Hz, 2H), 5.49 (s, 2H),

4.57 (s, 2H), 3.29 (t, *J* = 7.5 Hz, 2H), 2.27 (s, 3H), 1.60–1.52 (m, 2H), 1.29–1.20 (m, 2H), 0.87 (t, *J* = 7.2 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 161.22, 144.14, 134.65, 129.20, 128.86, 128.08, 123.29, 54.30, 48.45, 42.42, 29.84, 27.29, 20.03, 13.75; HRMS (ESI) calcd for C₁₈H₂₃N₆O₂ [M + H]⁺ 355.1882, found 355.1874.

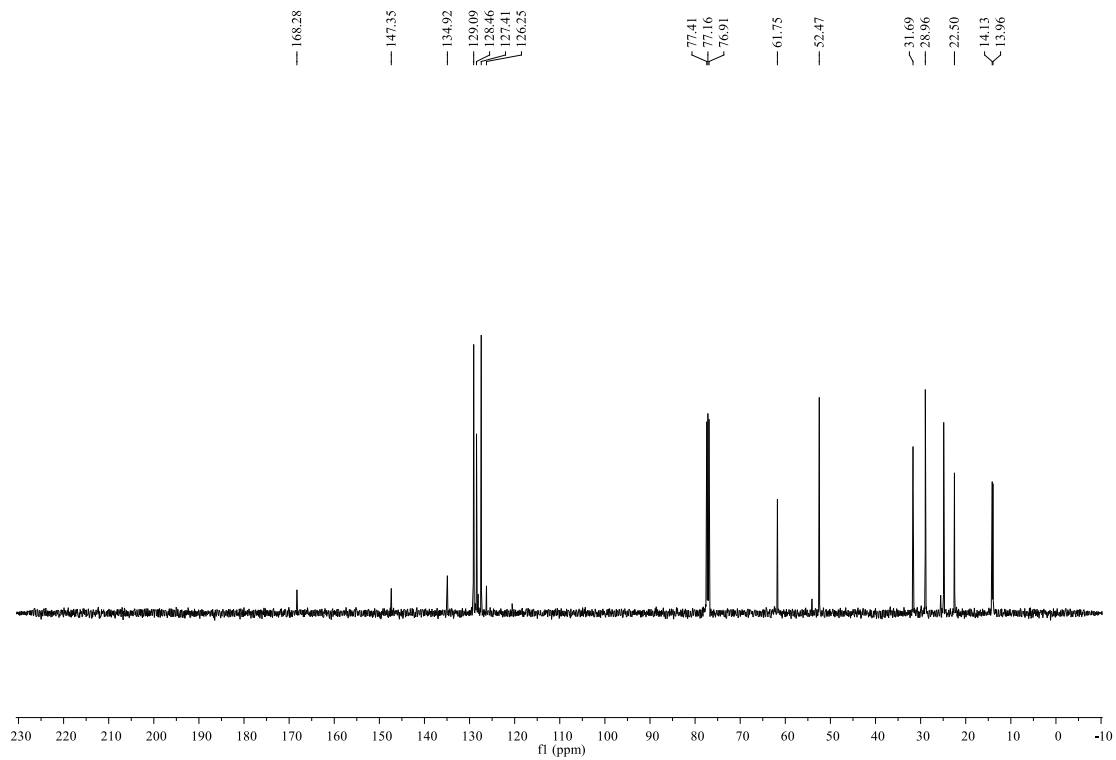
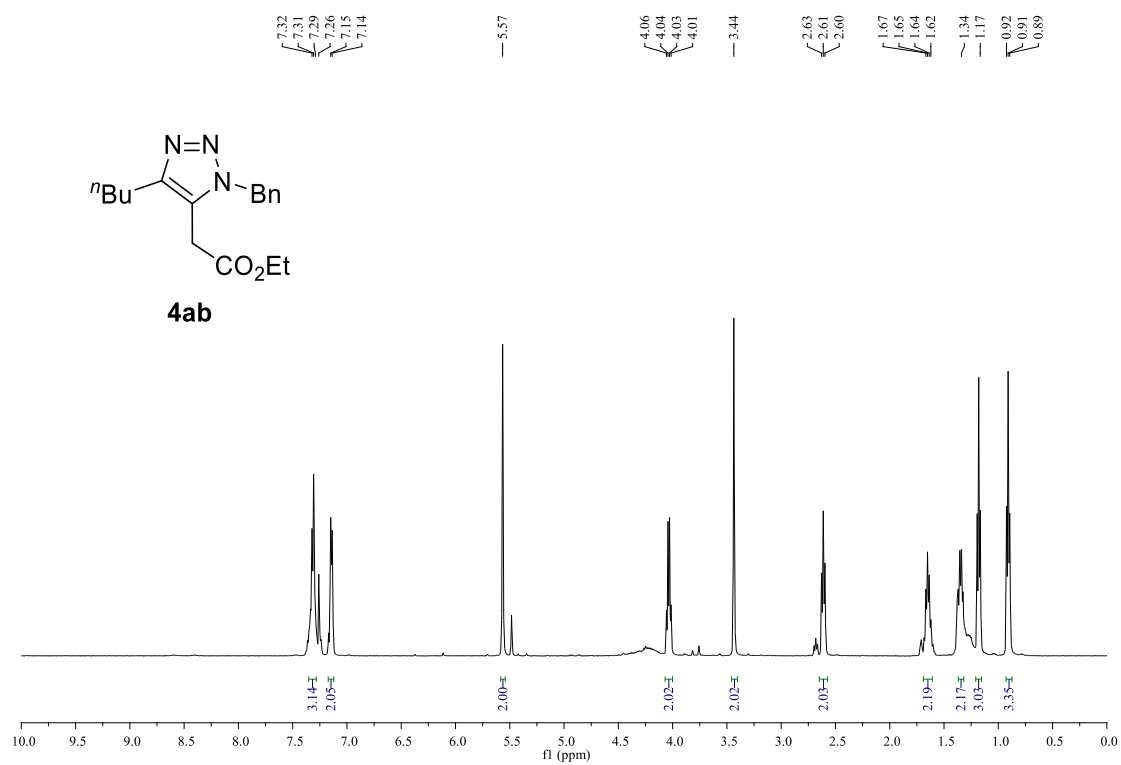
5-Butyl-3-methyl-5,6-dihydro-4*H*-furo[3,4-*c*]pyrrol-4-one (8I''**):** The compound **8I''** was

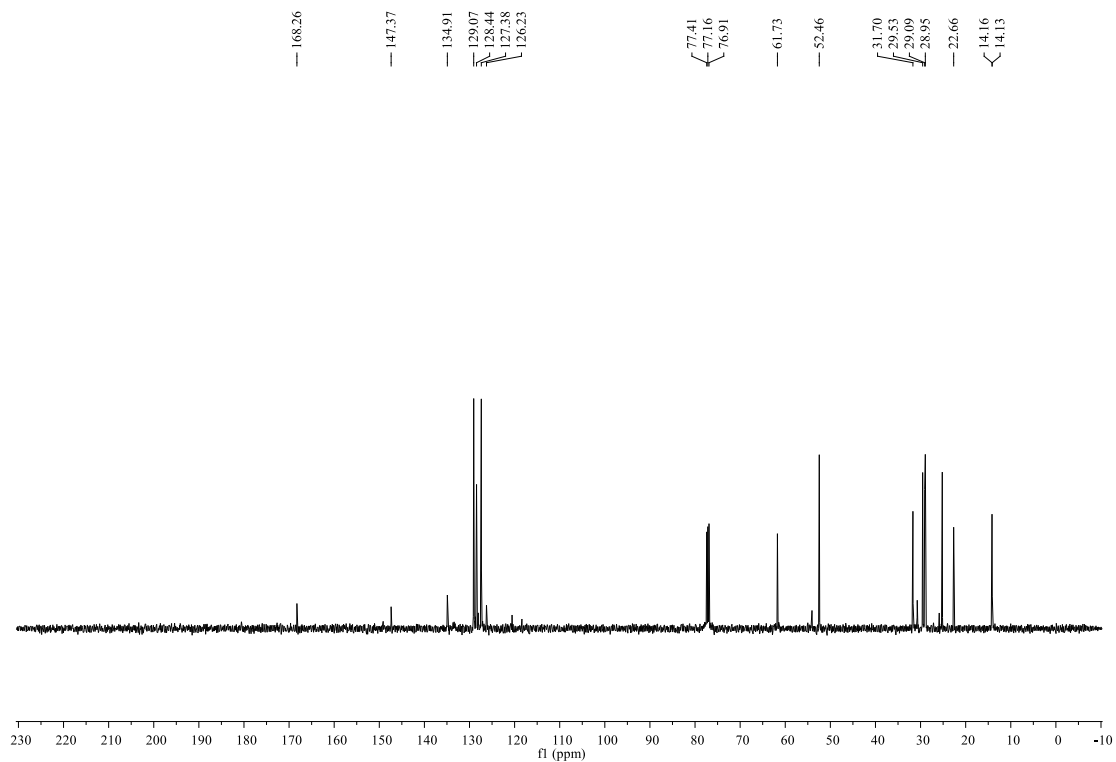
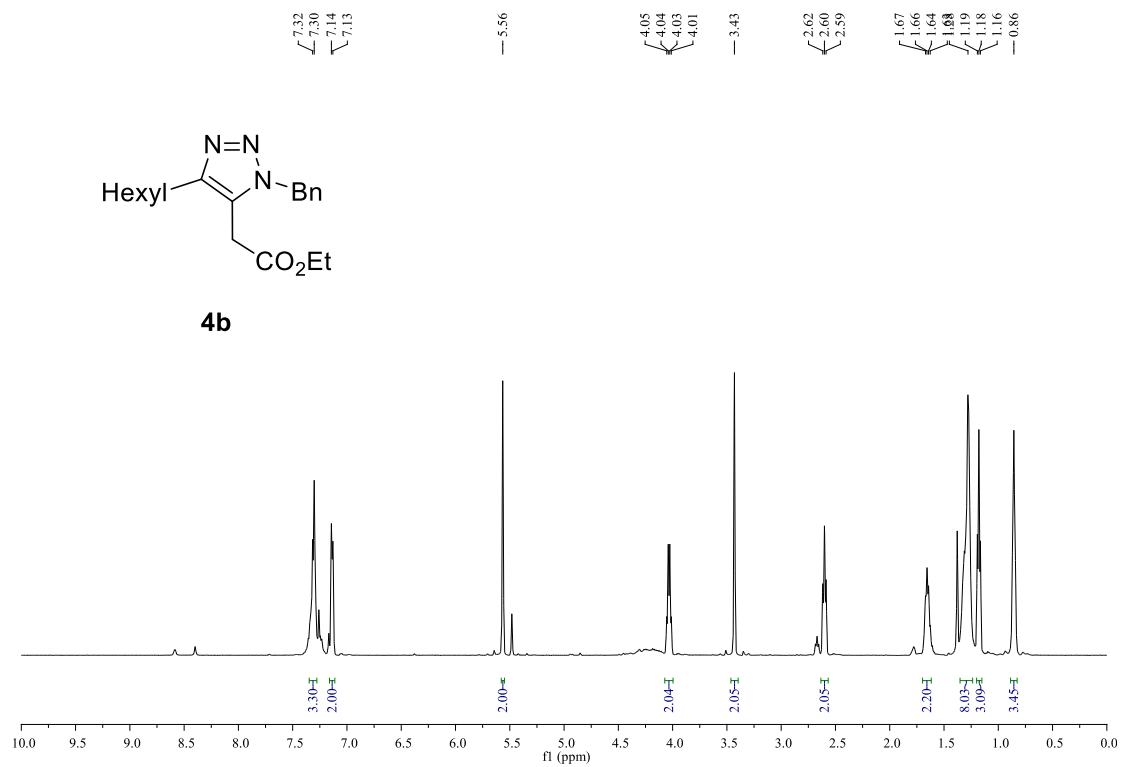


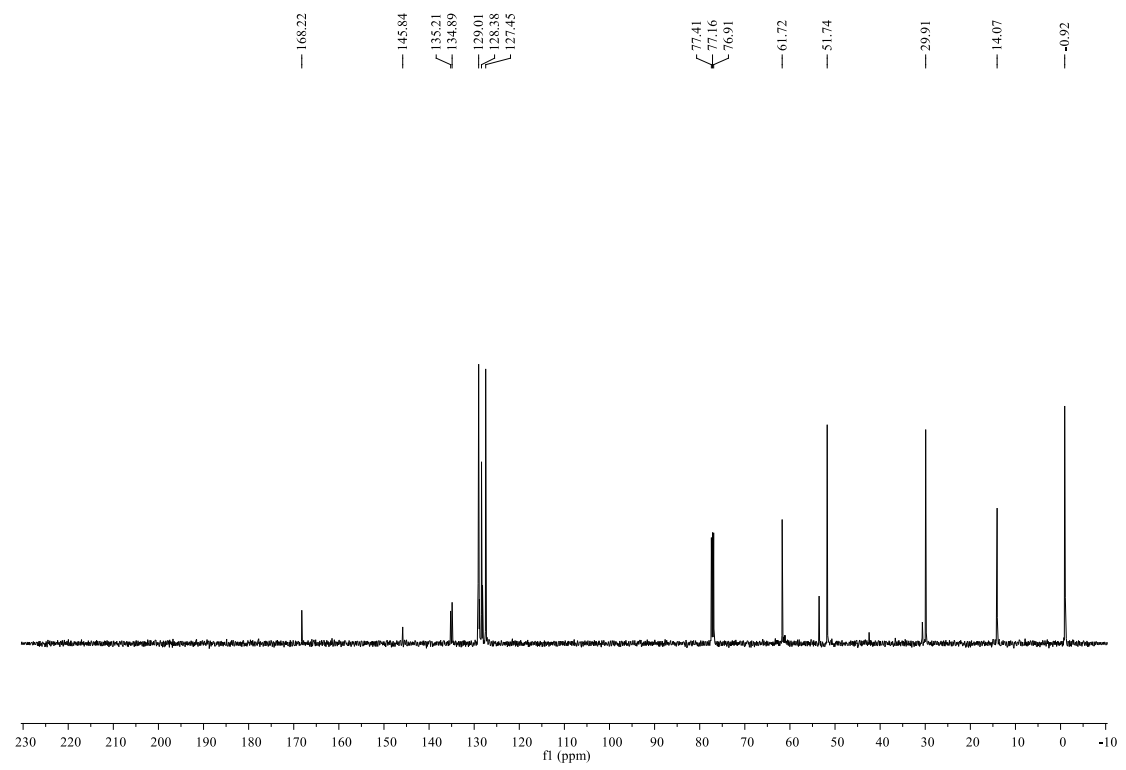
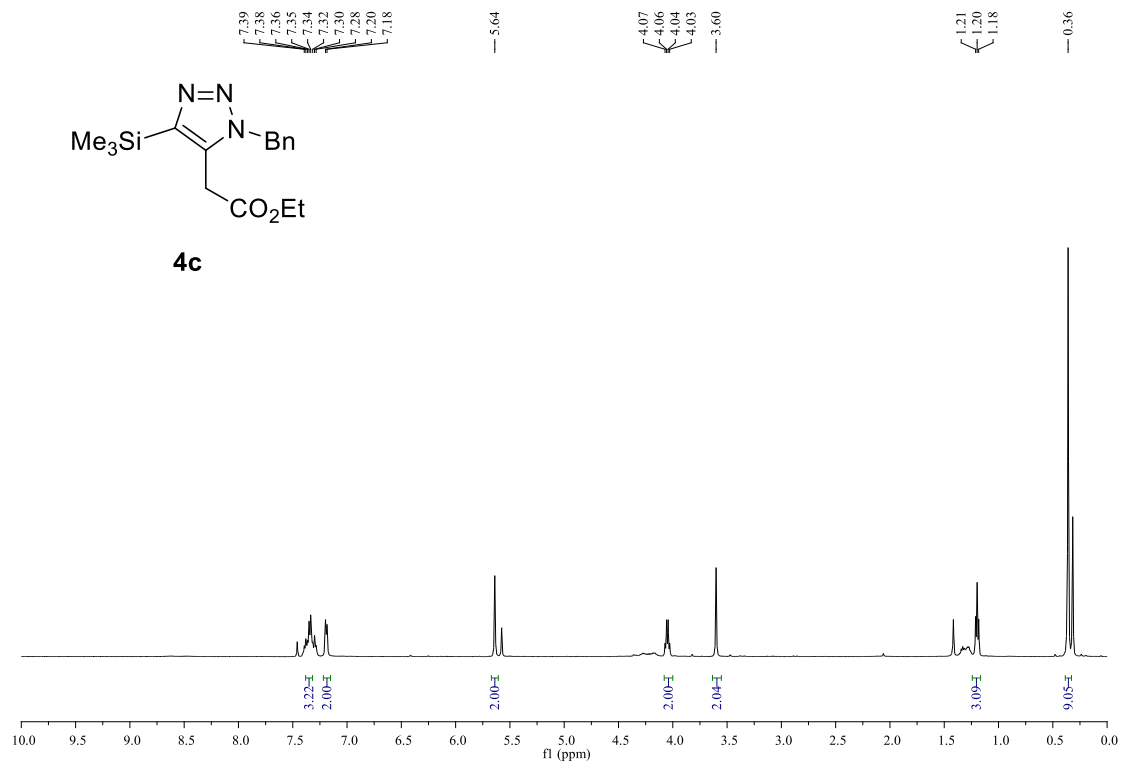
prepared according to the general procedure and was purified by flash column chromatography (hexane: ethyl acetate = 2:1). **8I''** was obtained as a light-yellow oil (20.0 mg, 52%). ¹H NMR (500 MHz, CDCl₃) δ 7.10 (s, 1H),

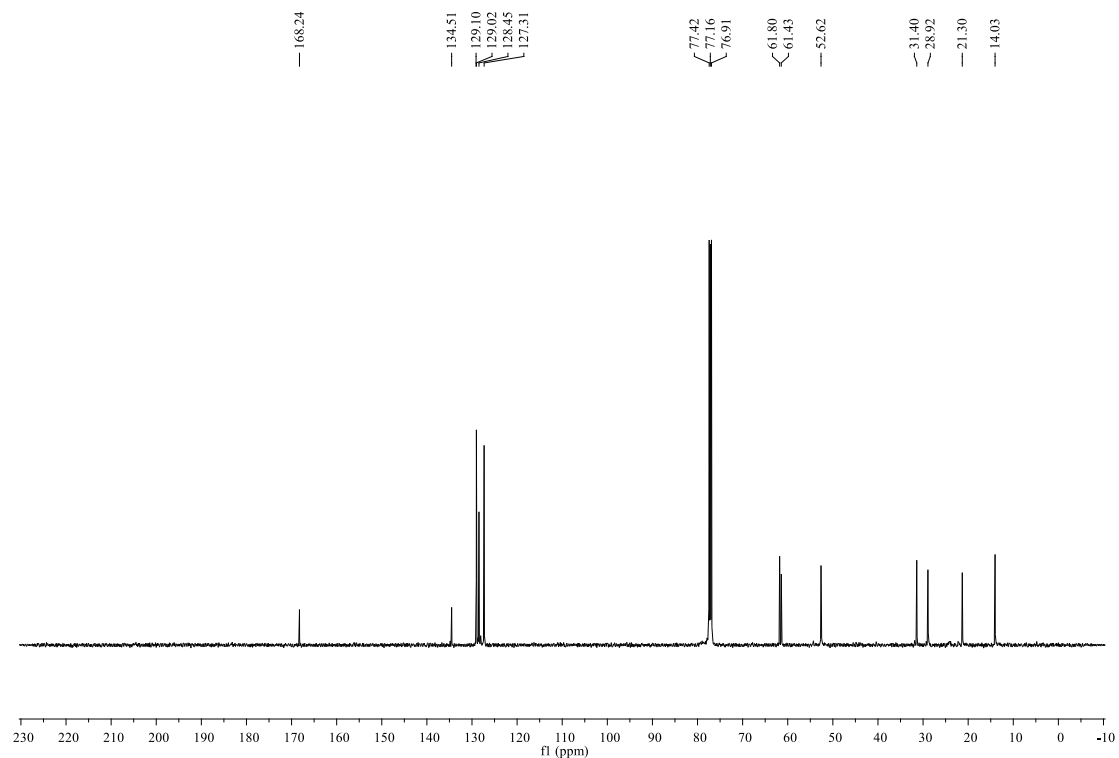
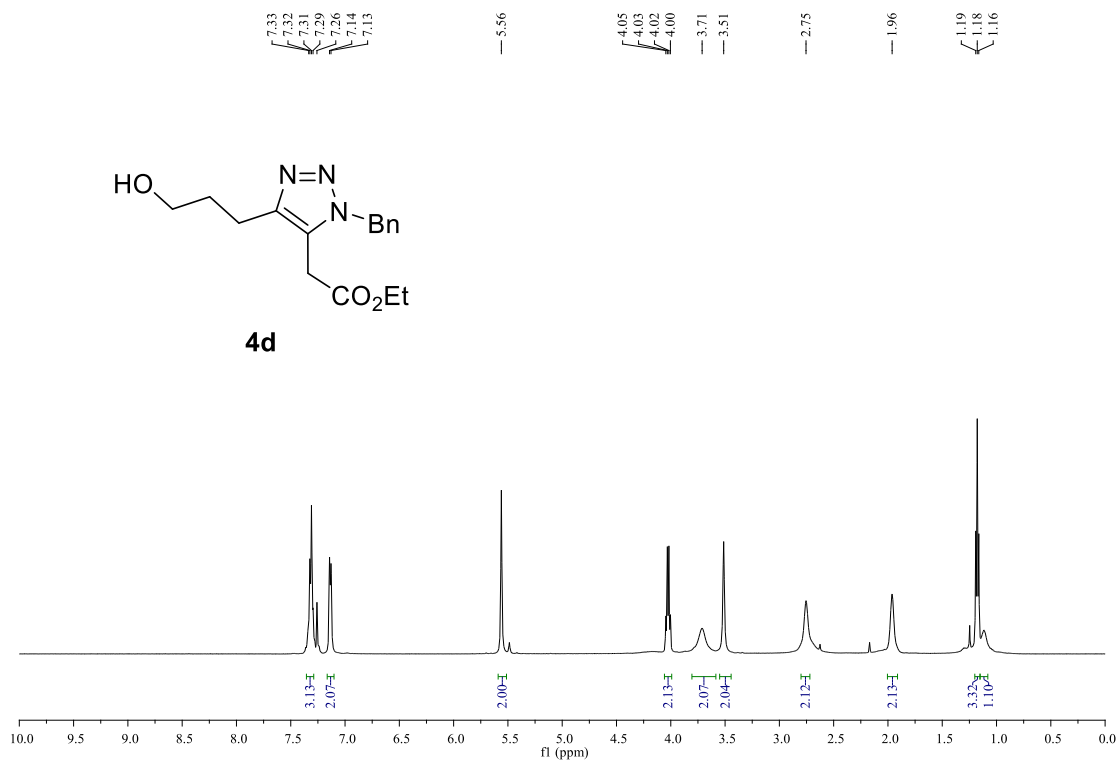
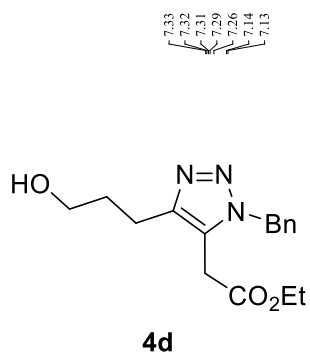
4.21 (s, 2H), 3.45 (t, *J* = 7.3 Hz, 2H), 2.47 (s, 3H), 1.61–1.52 (m, 2H), 1.39–1.30 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 164.03, 148.04, 131.18, 129.79, 126.60, 125.52, 44.30, 42.51, 30.30, 20.15, 13.90, 12.83; HRMS (ESI) calcd for C₁₁H₁₆NO₂ [M + H]⁺ 194.1181, found 194.1182.

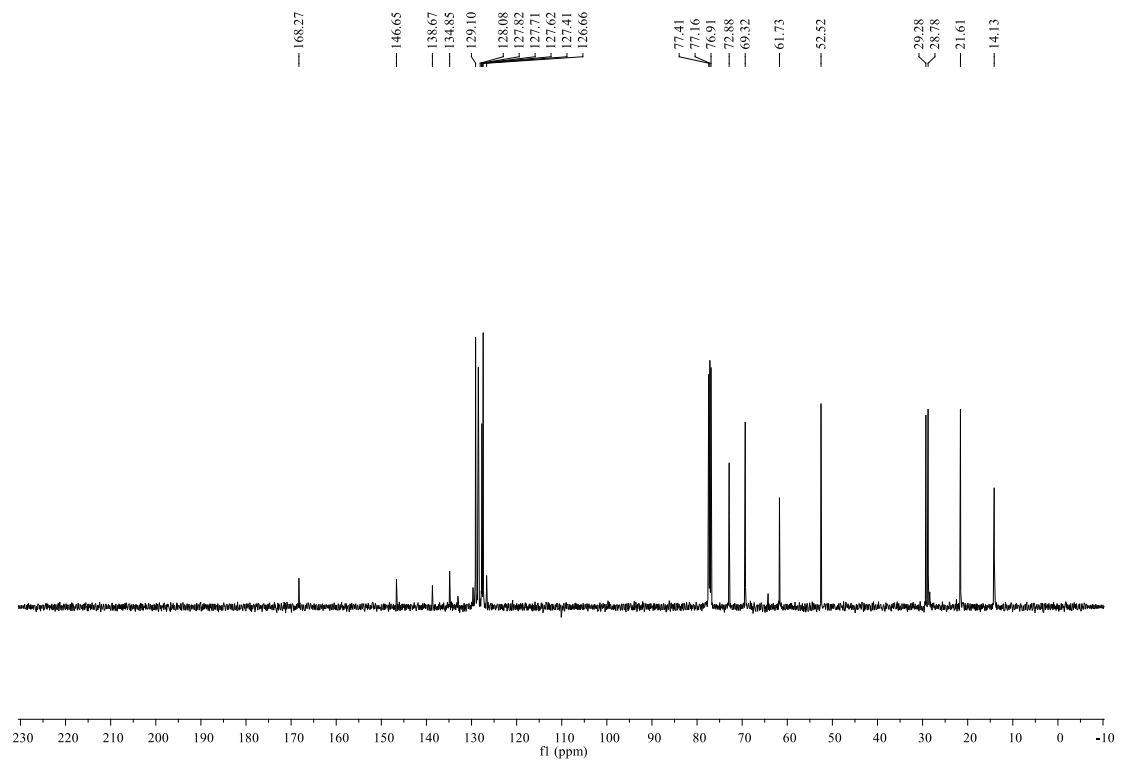
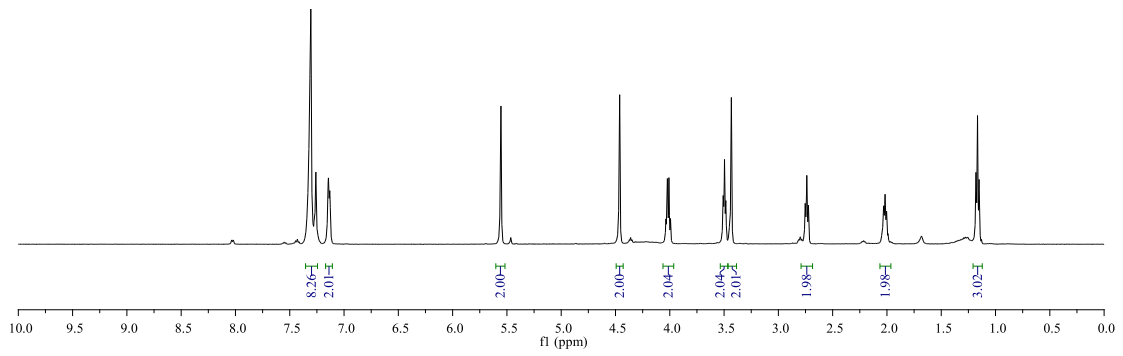
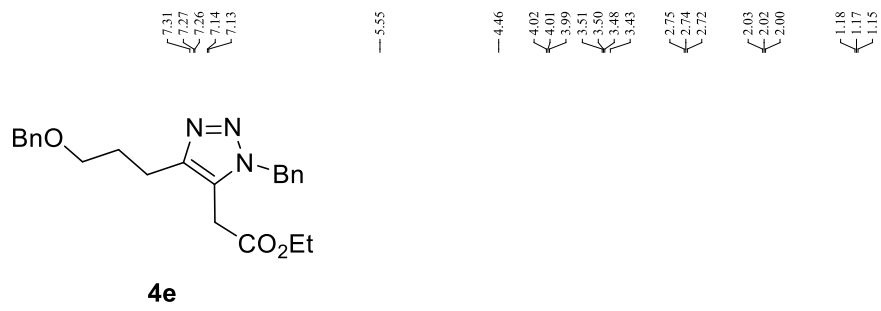
VI. ¹H NMR and ¹³C NMR Spectra

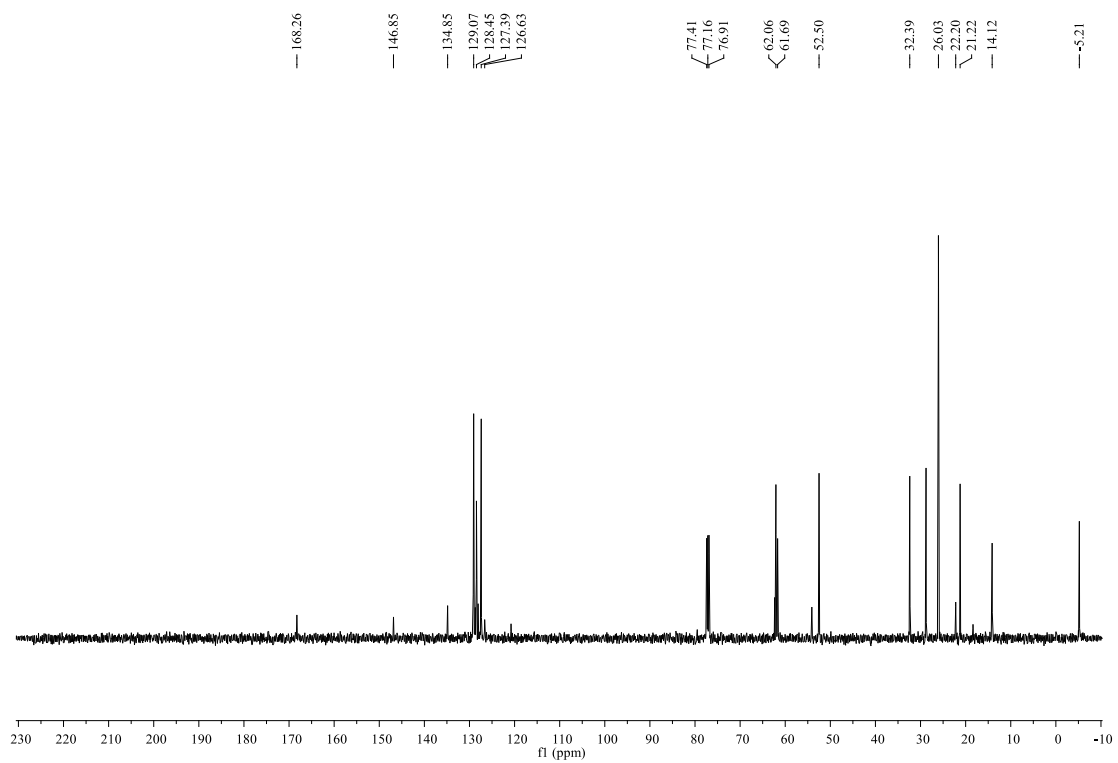
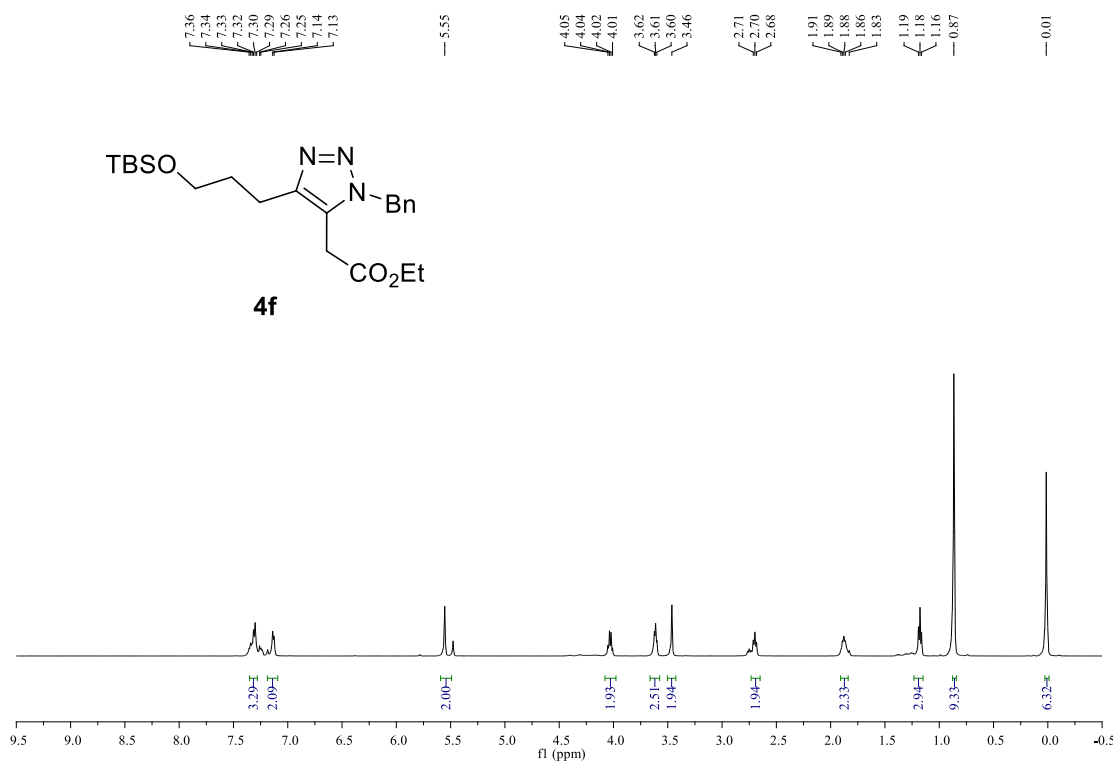


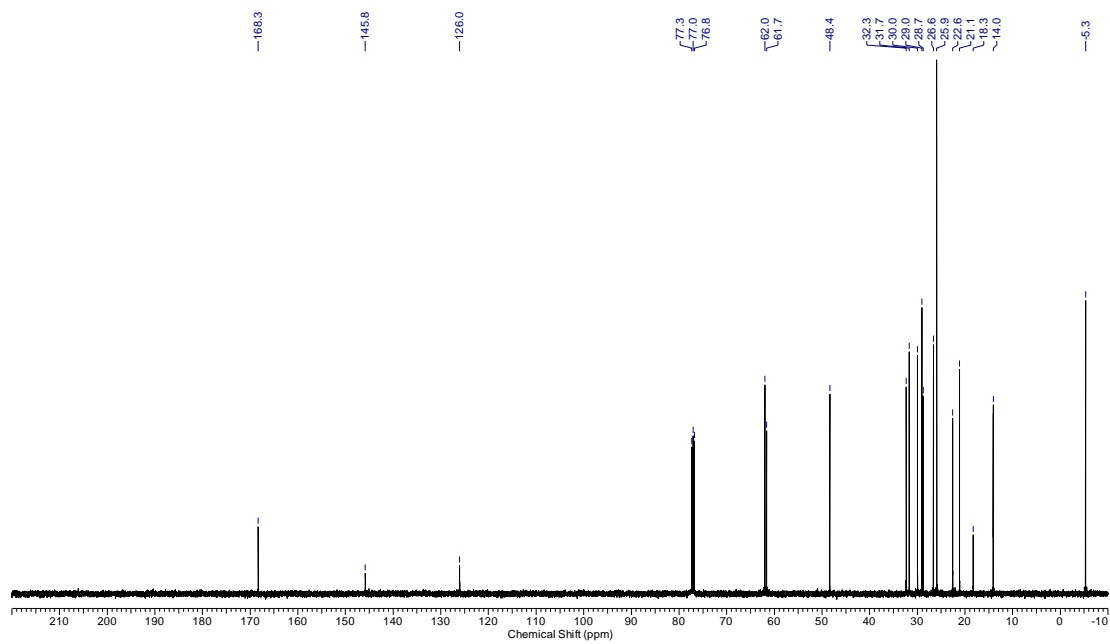
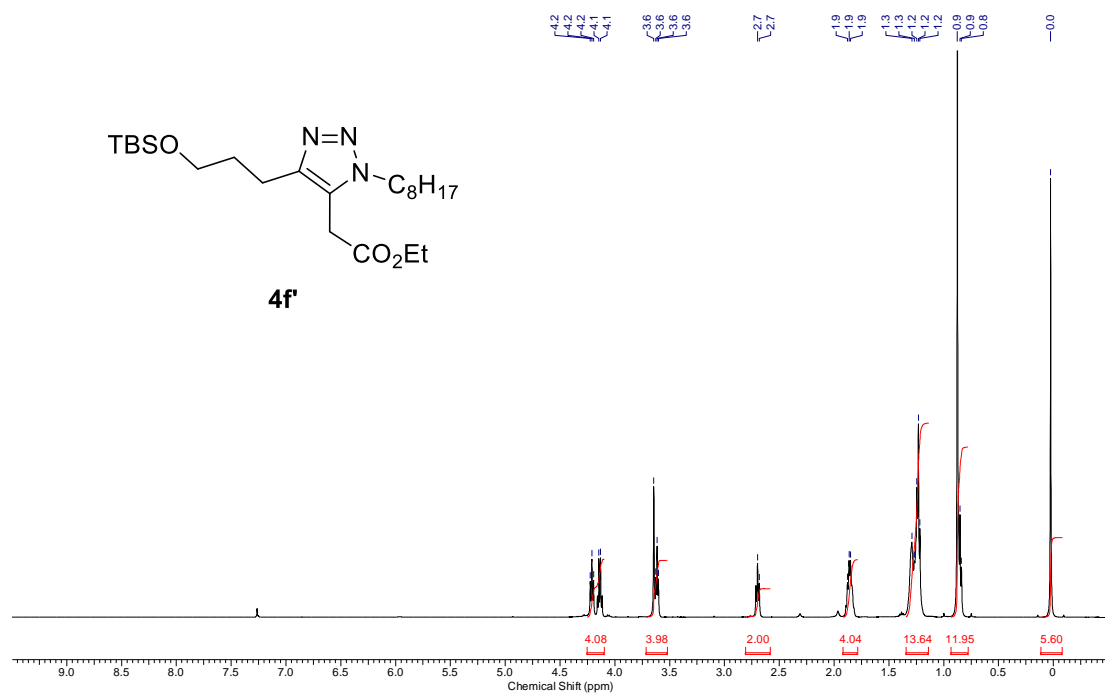


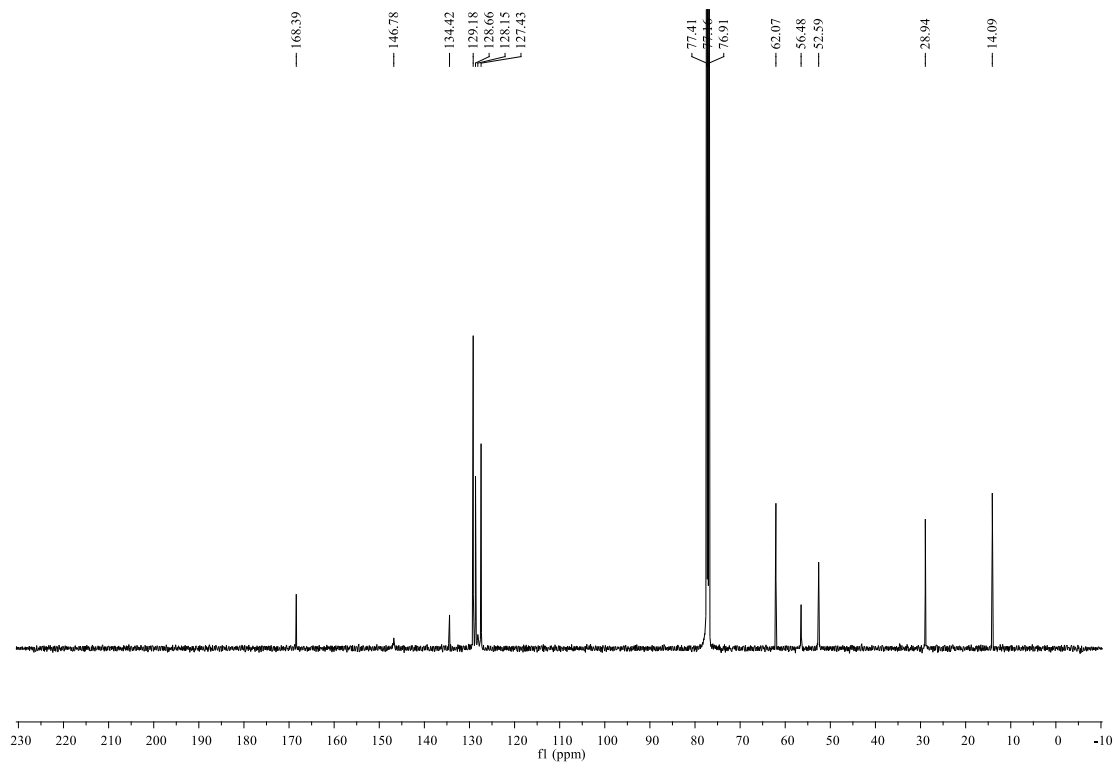
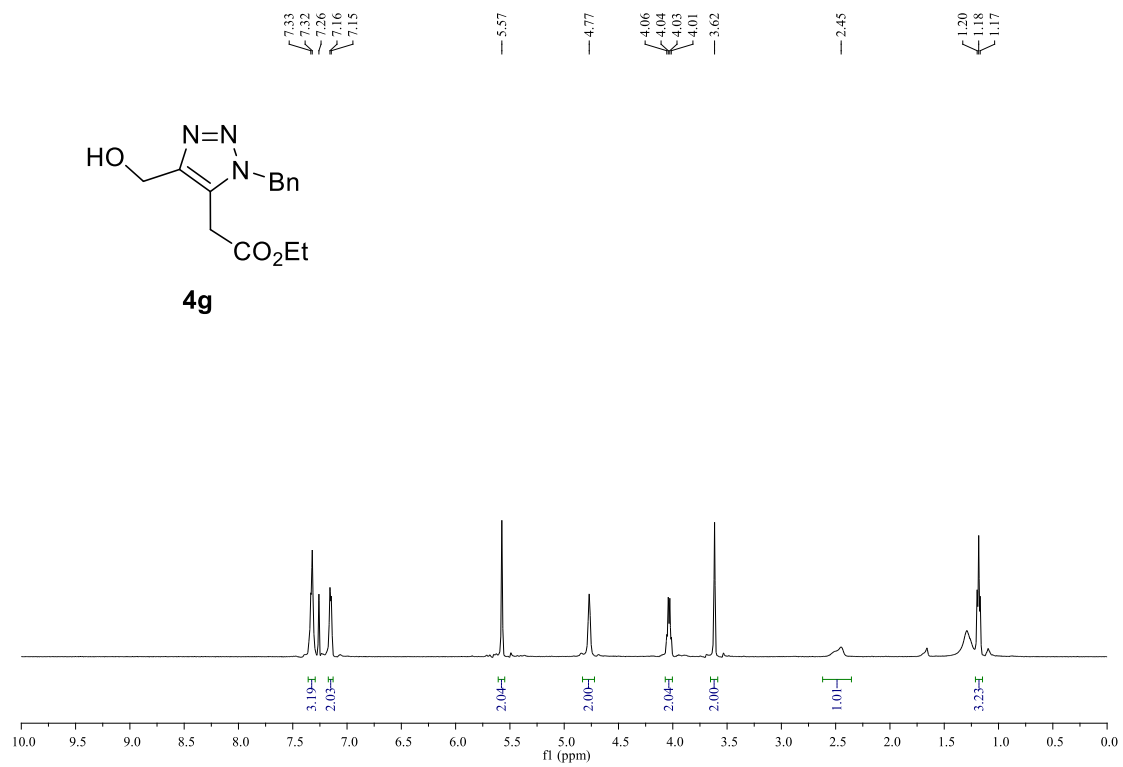
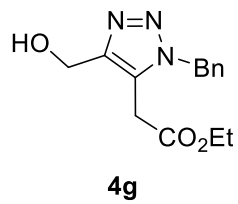


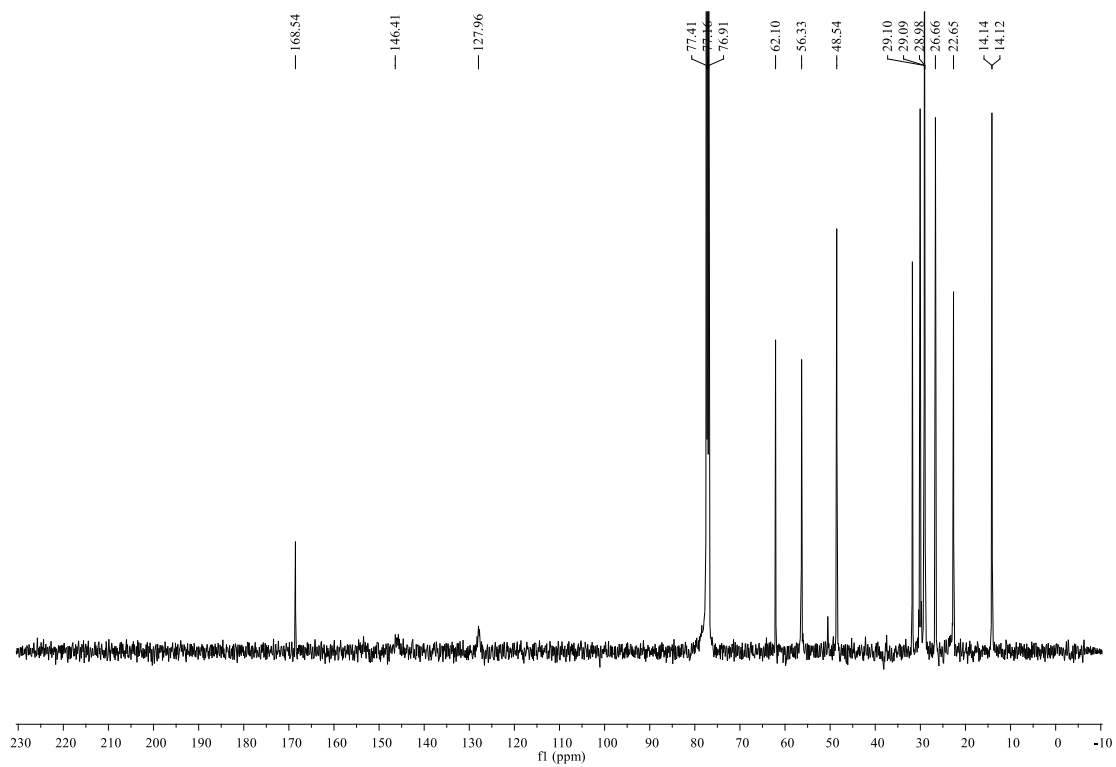
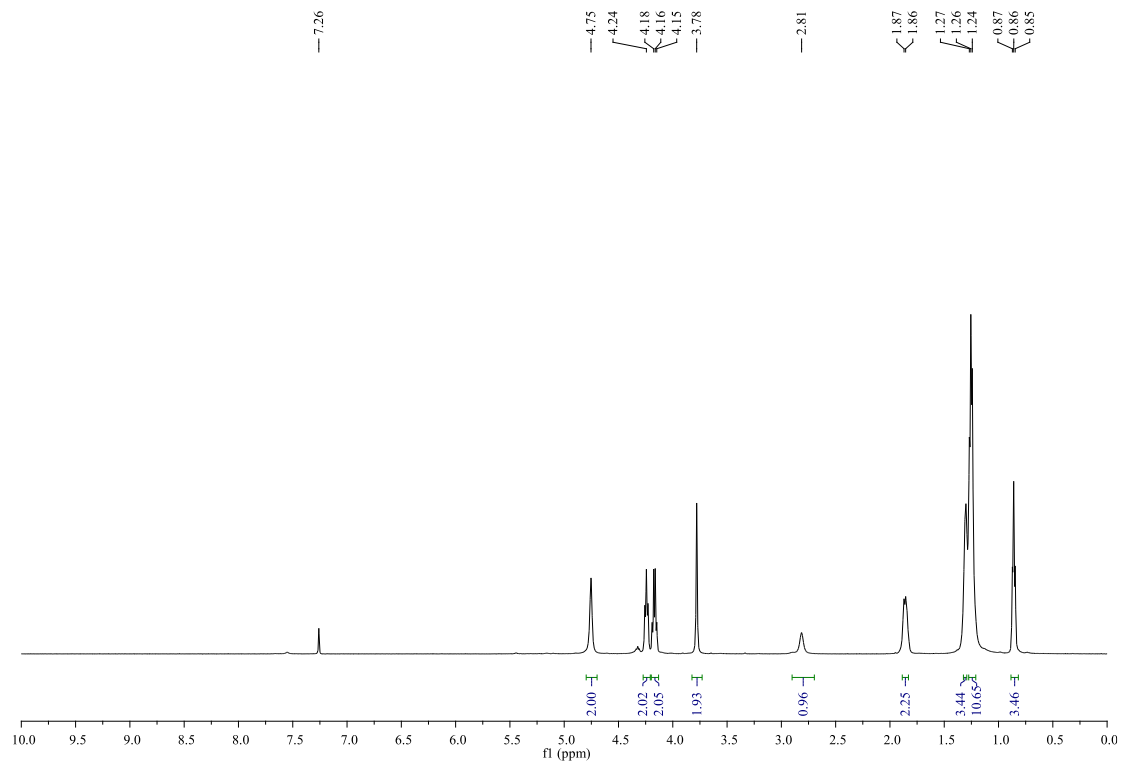
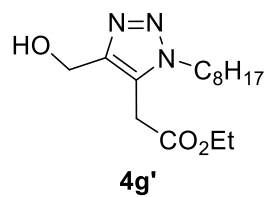


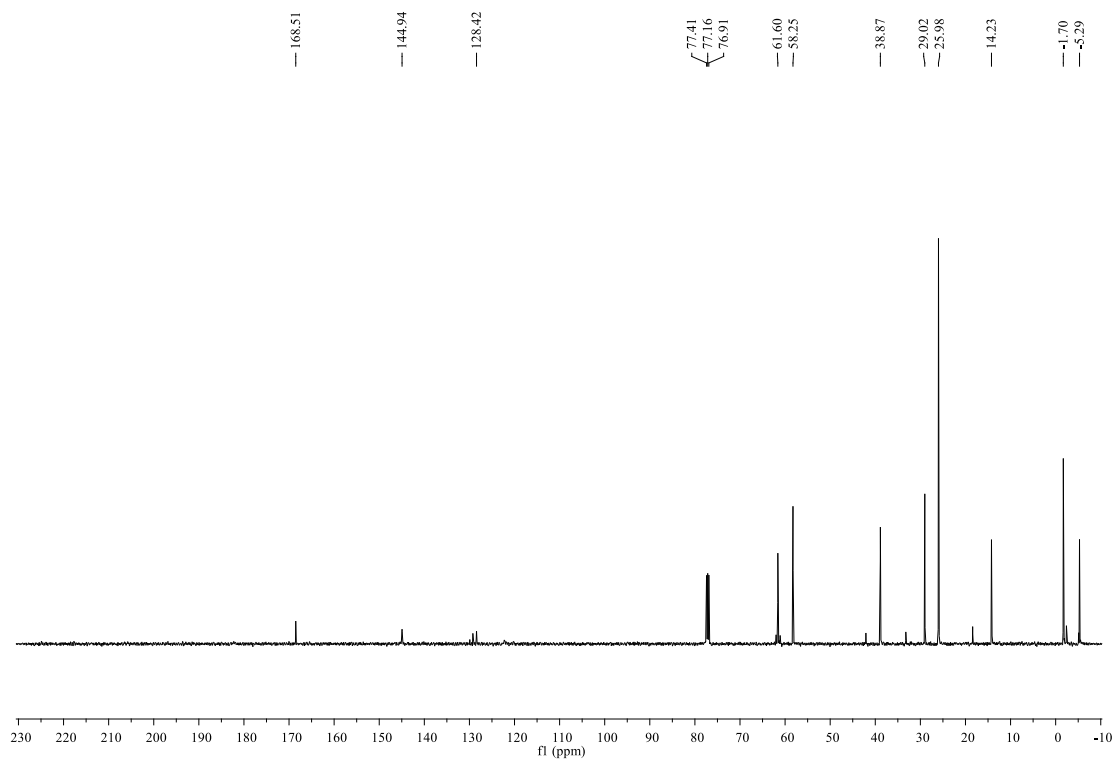
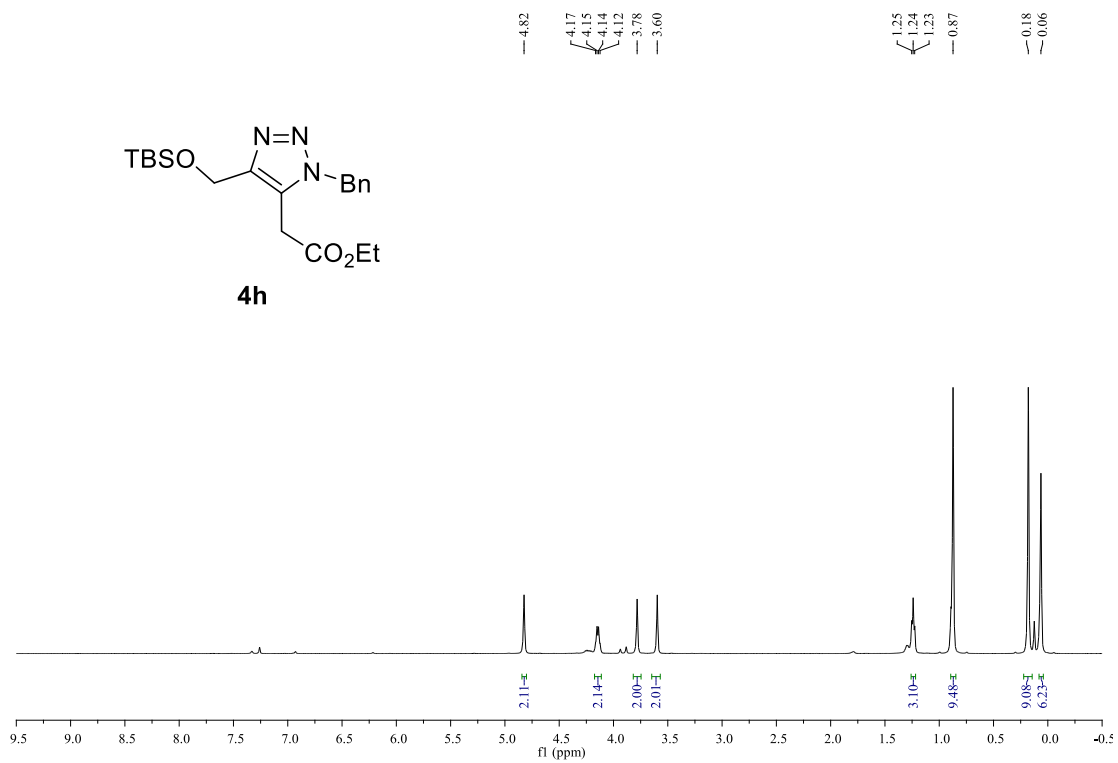
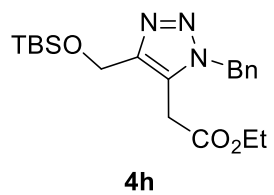


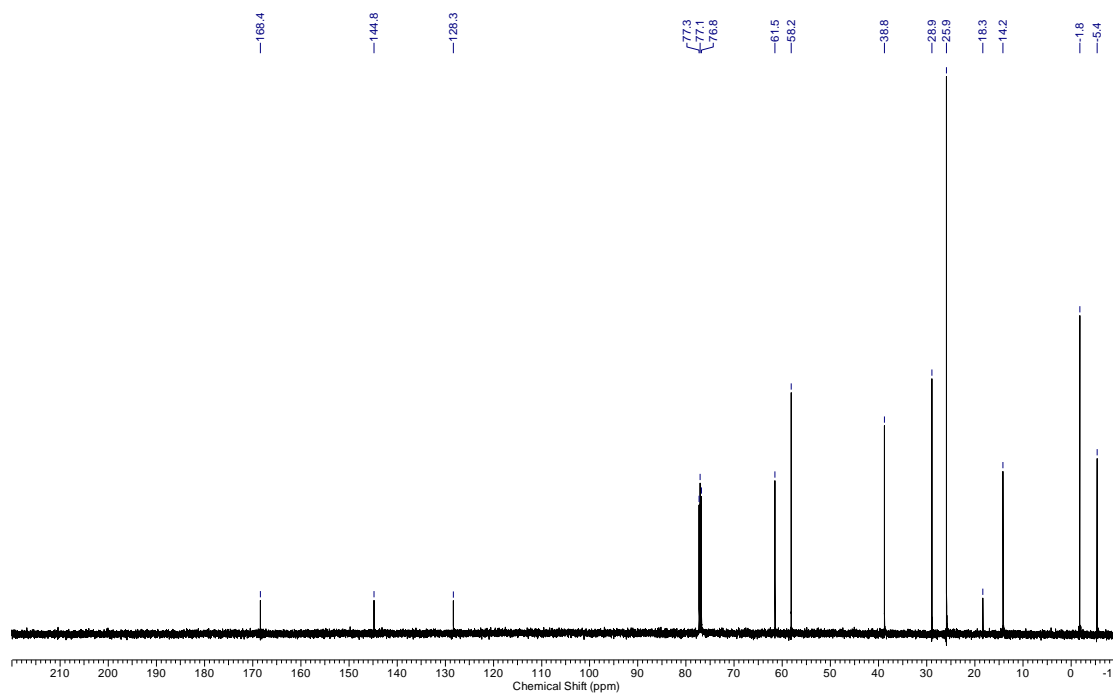
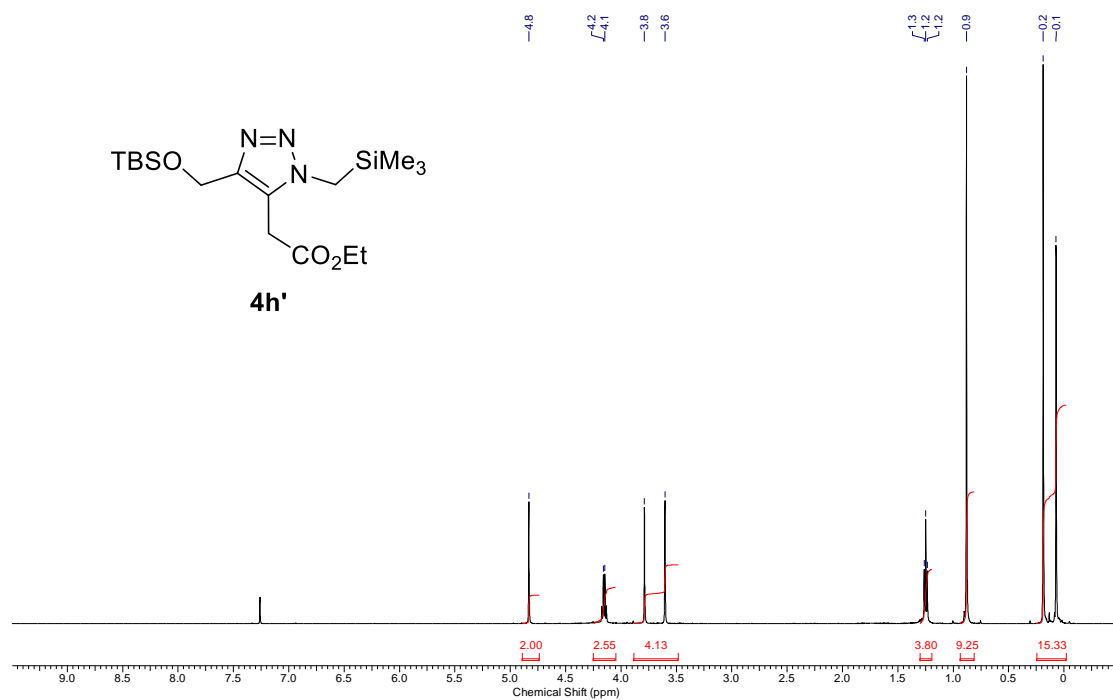


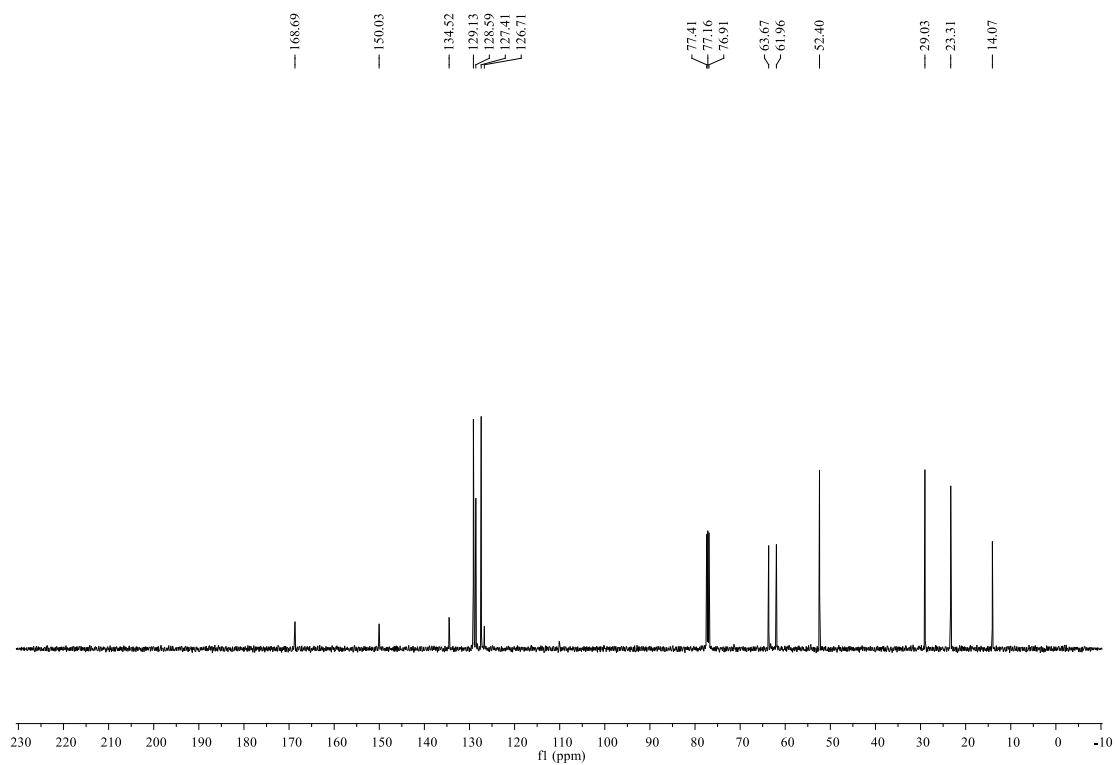
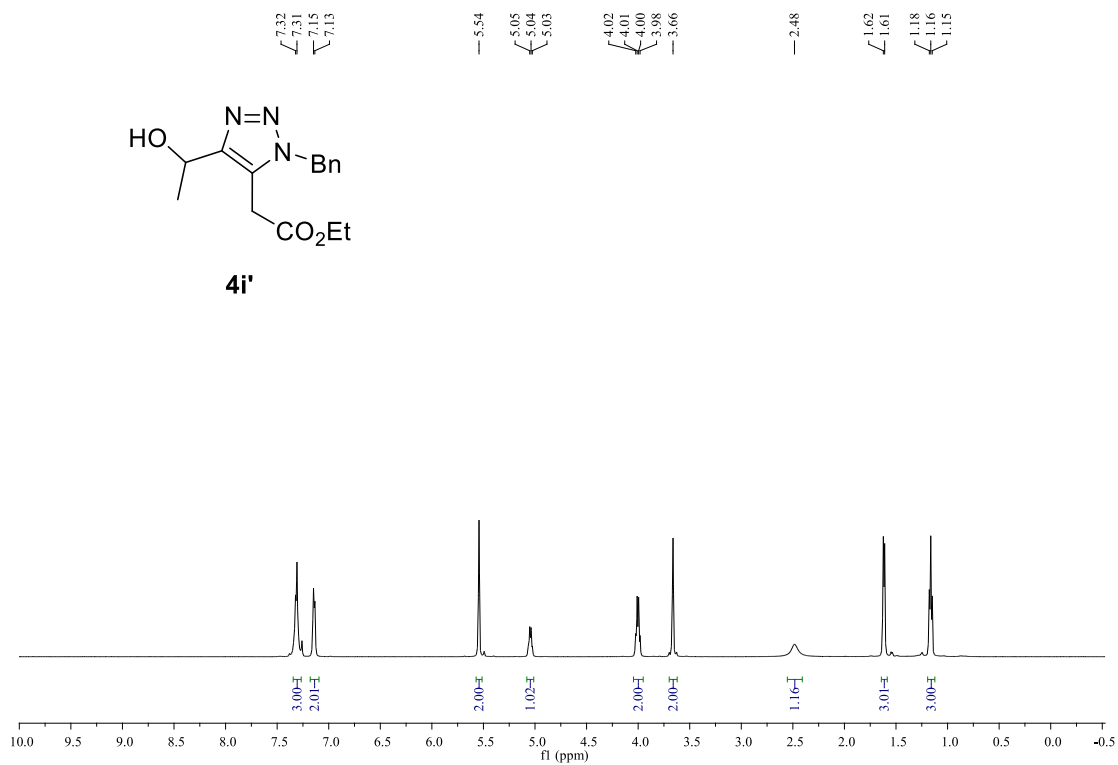
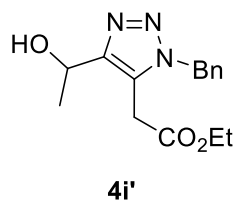


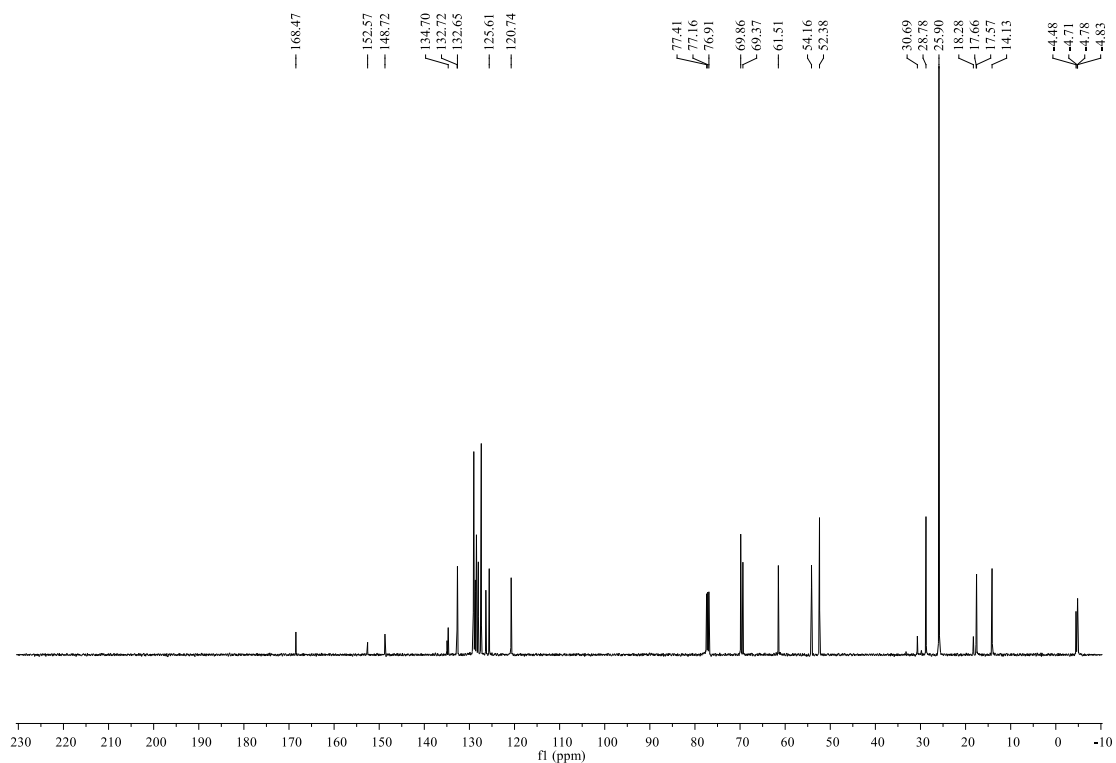
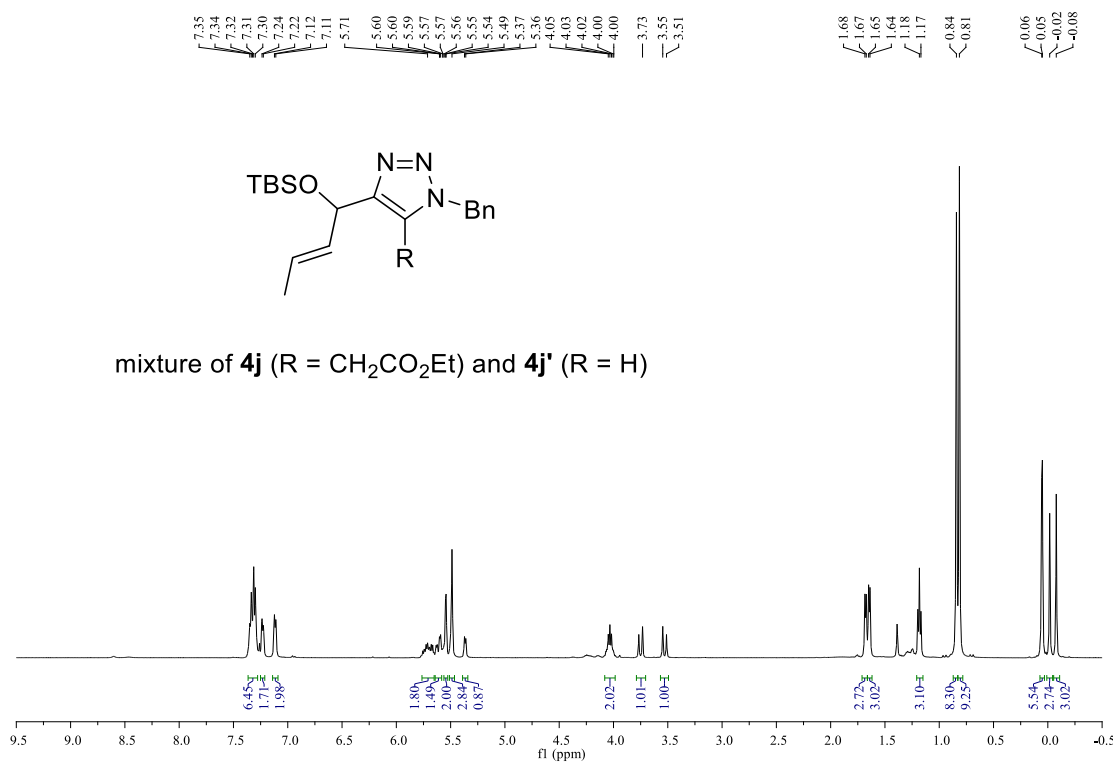


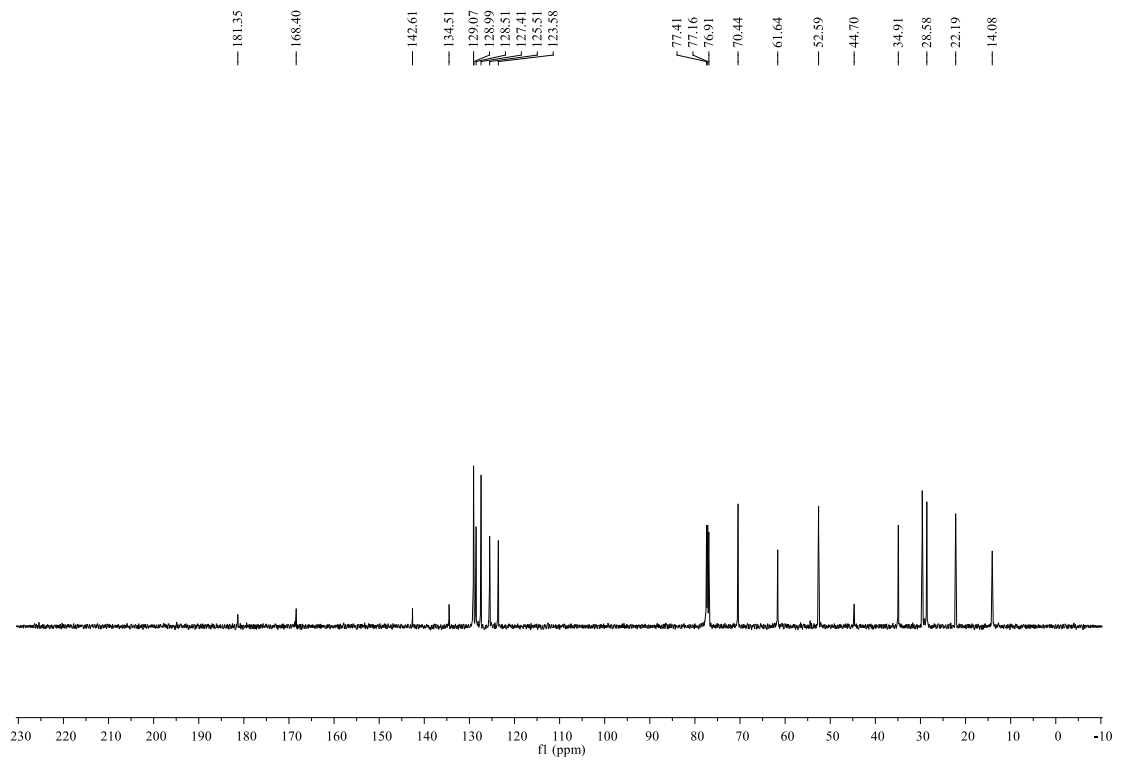
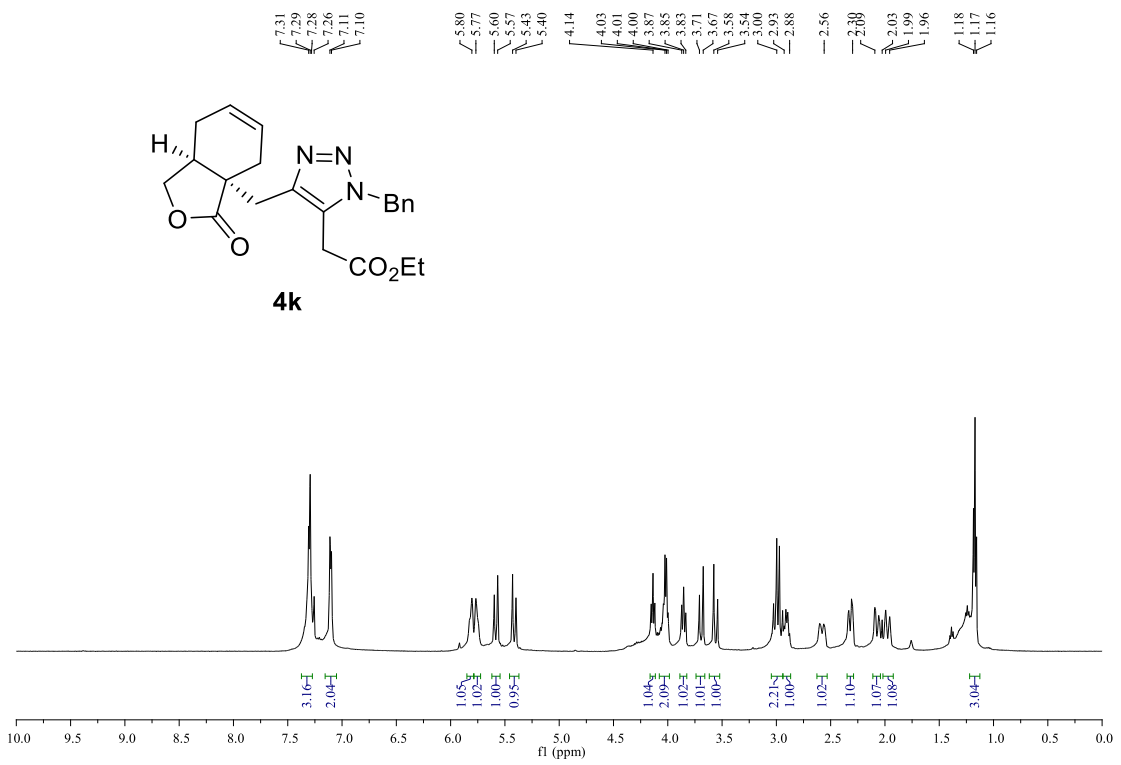
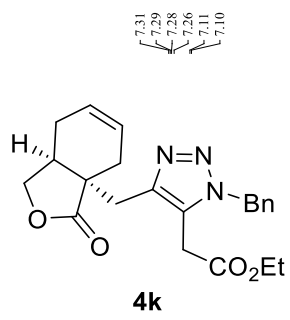


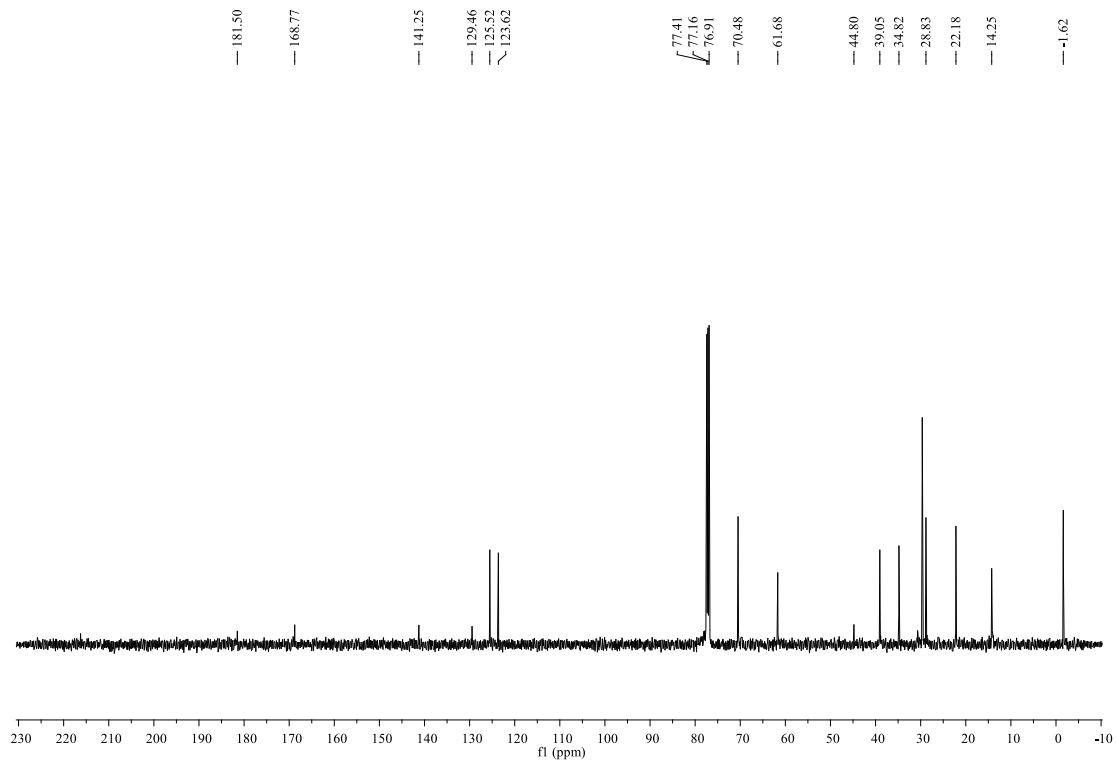
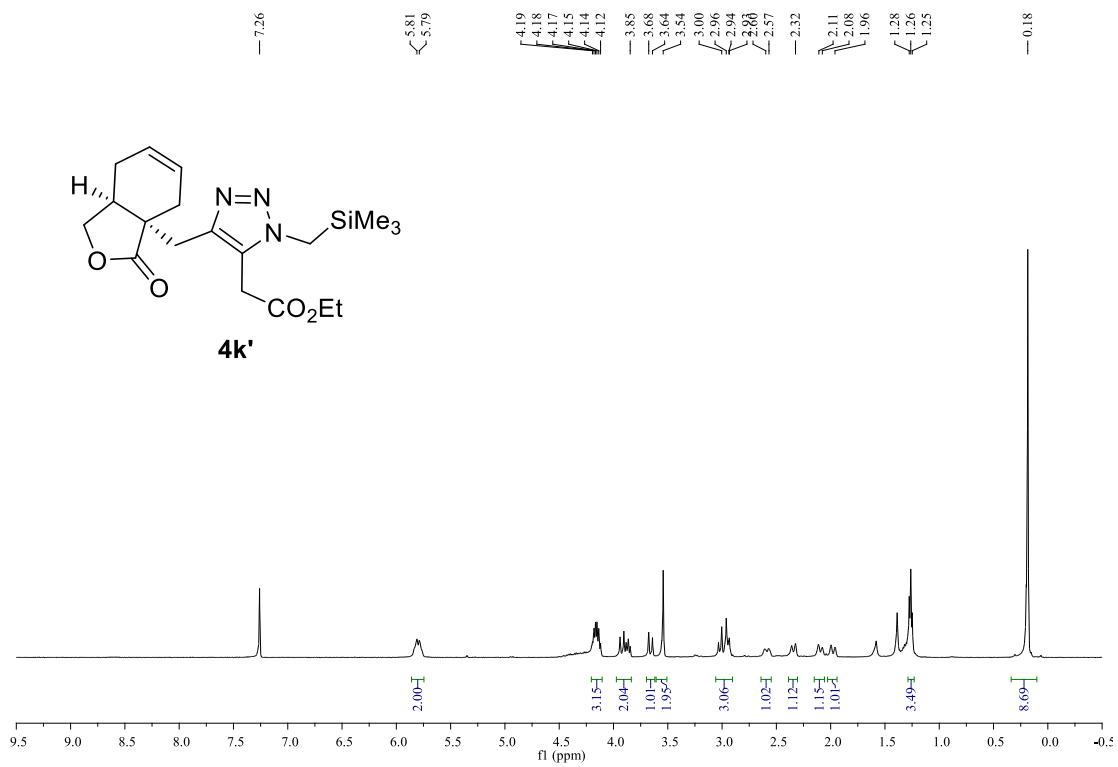


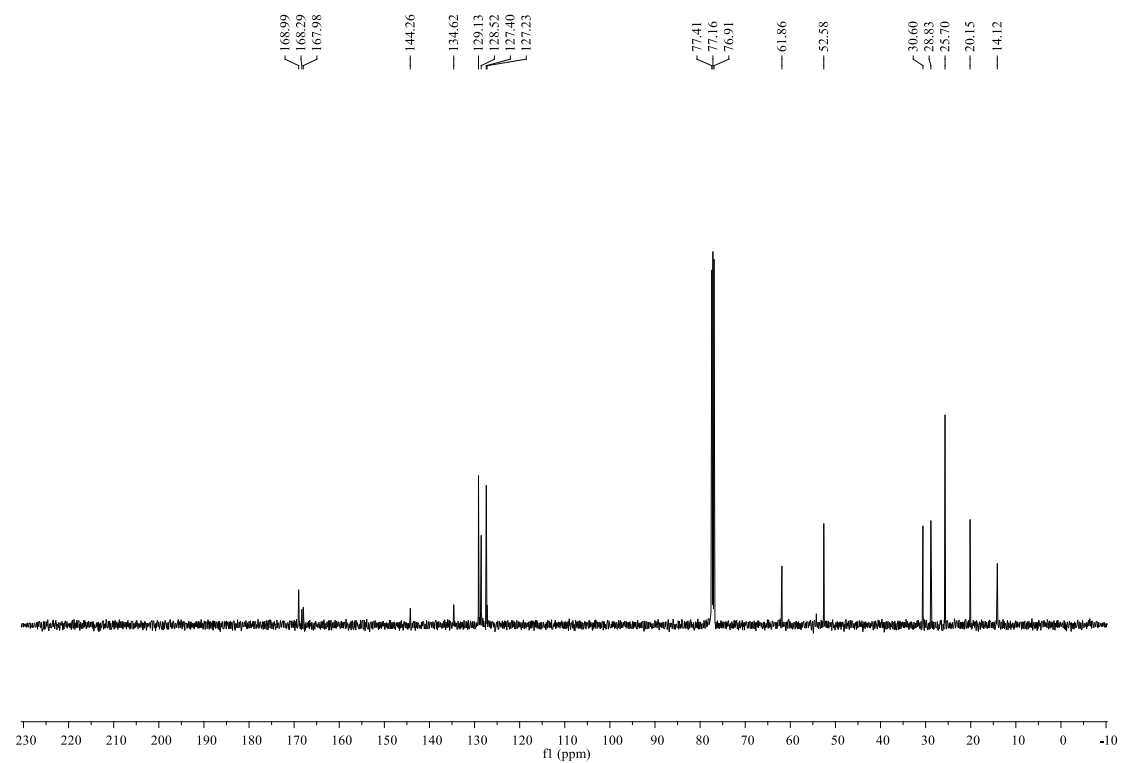
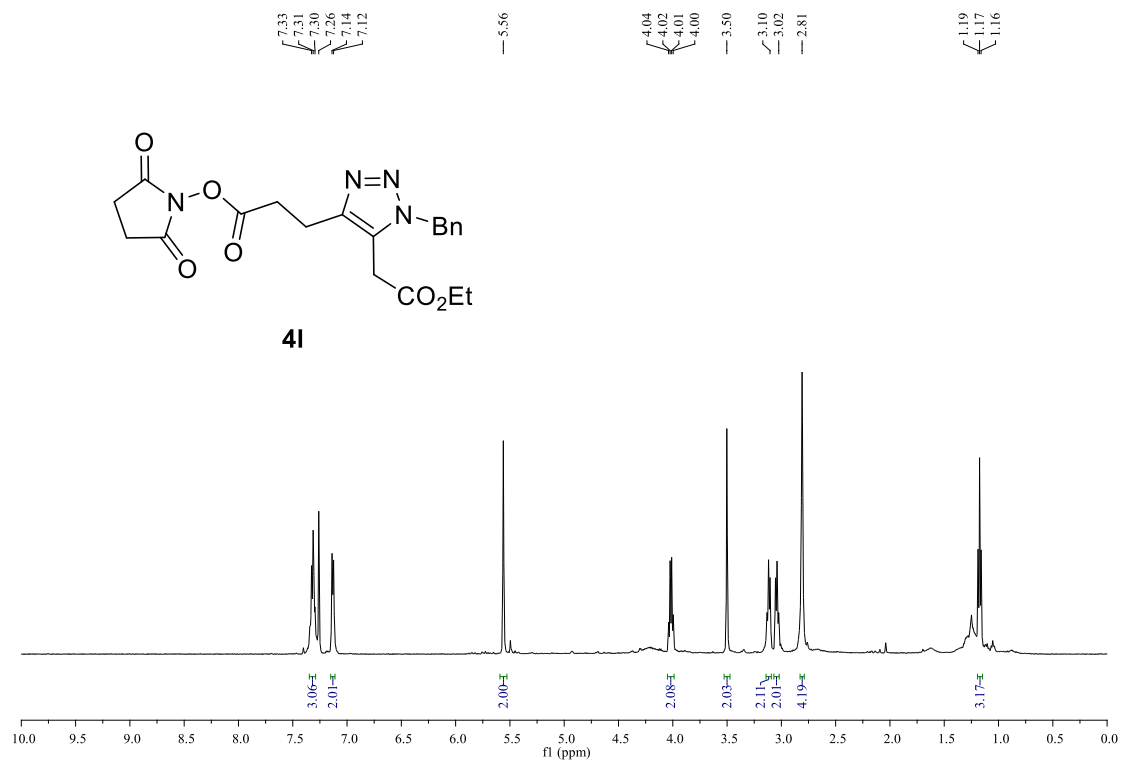


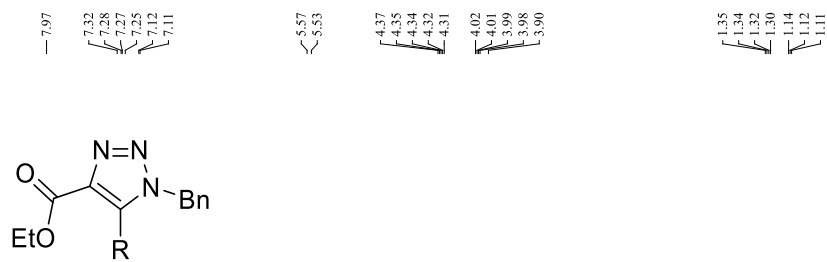




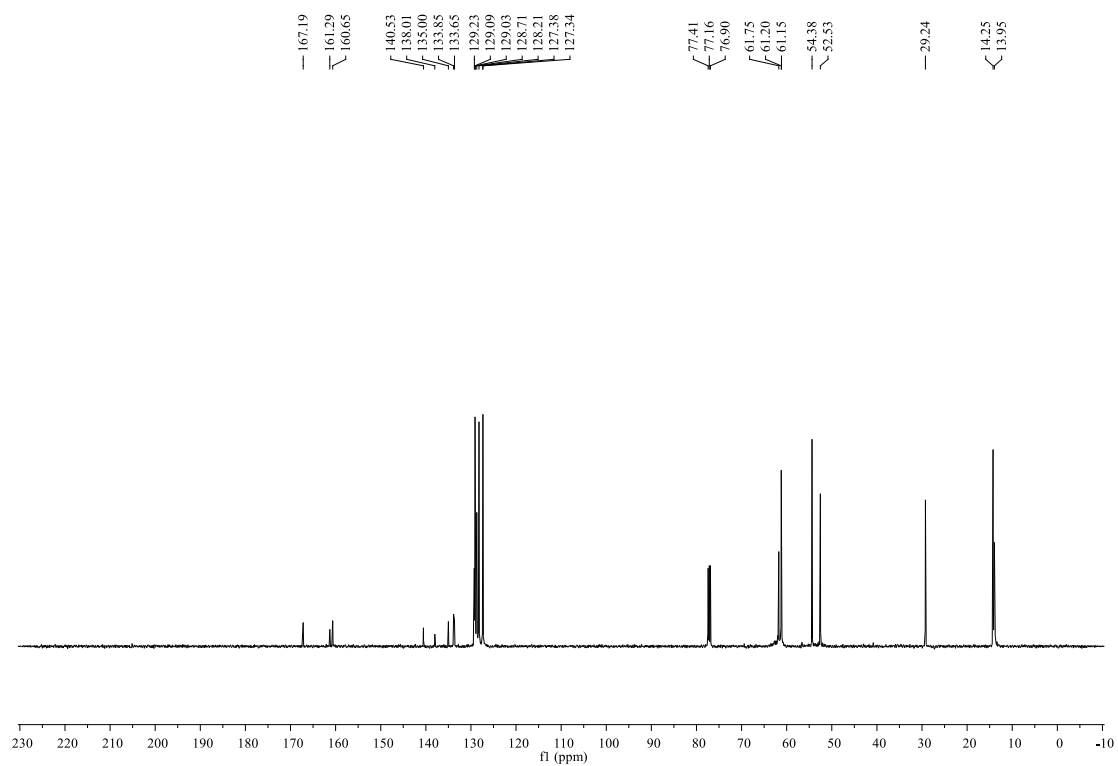
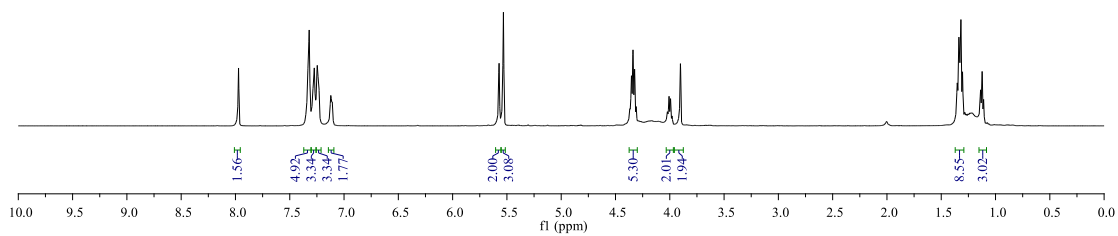


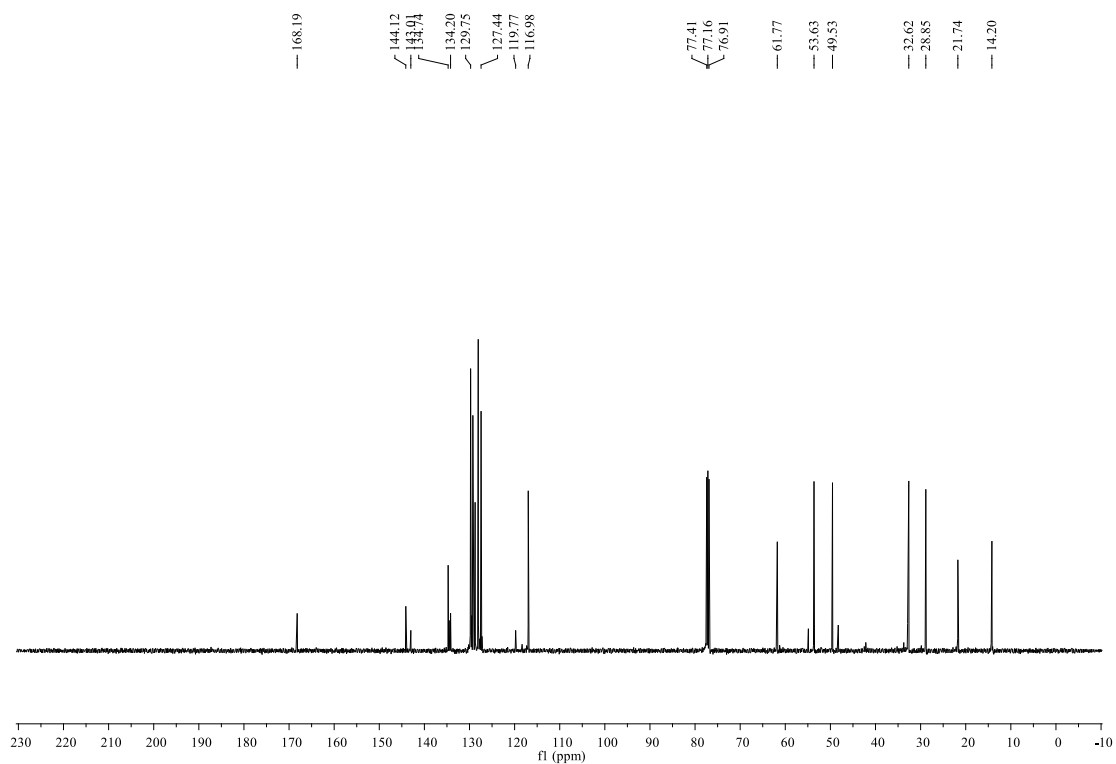
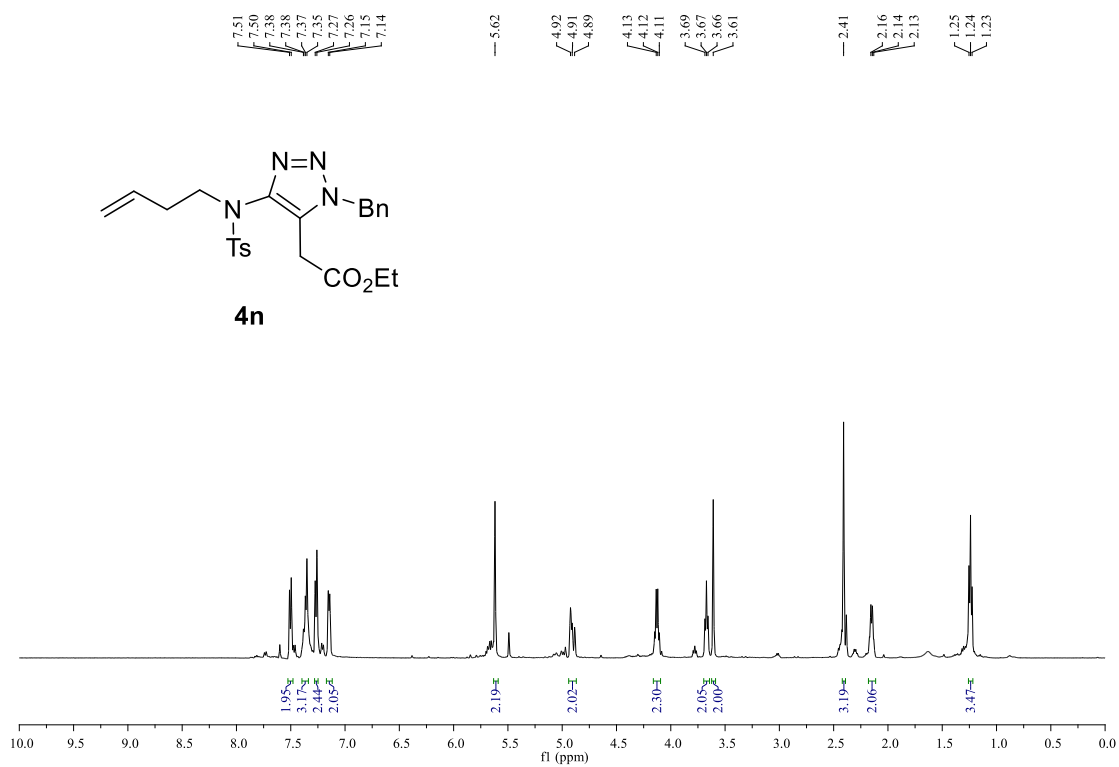
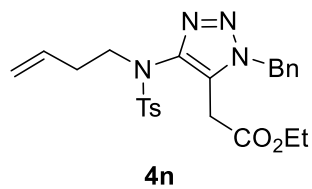


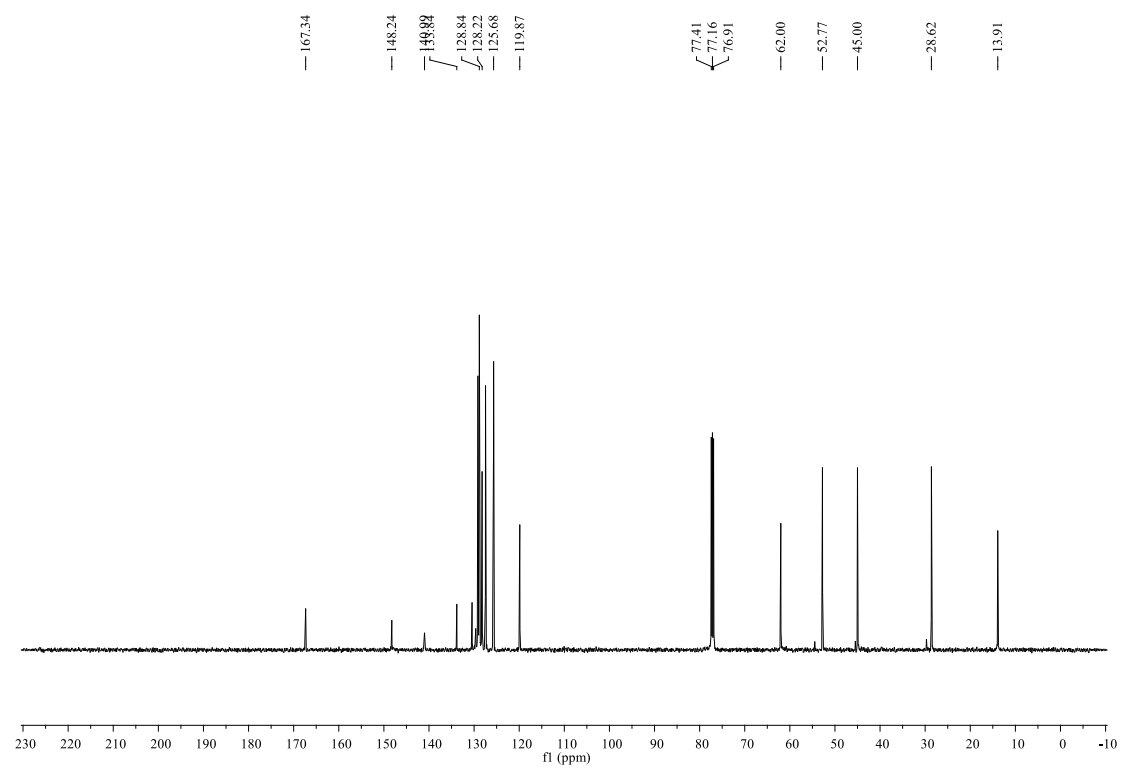
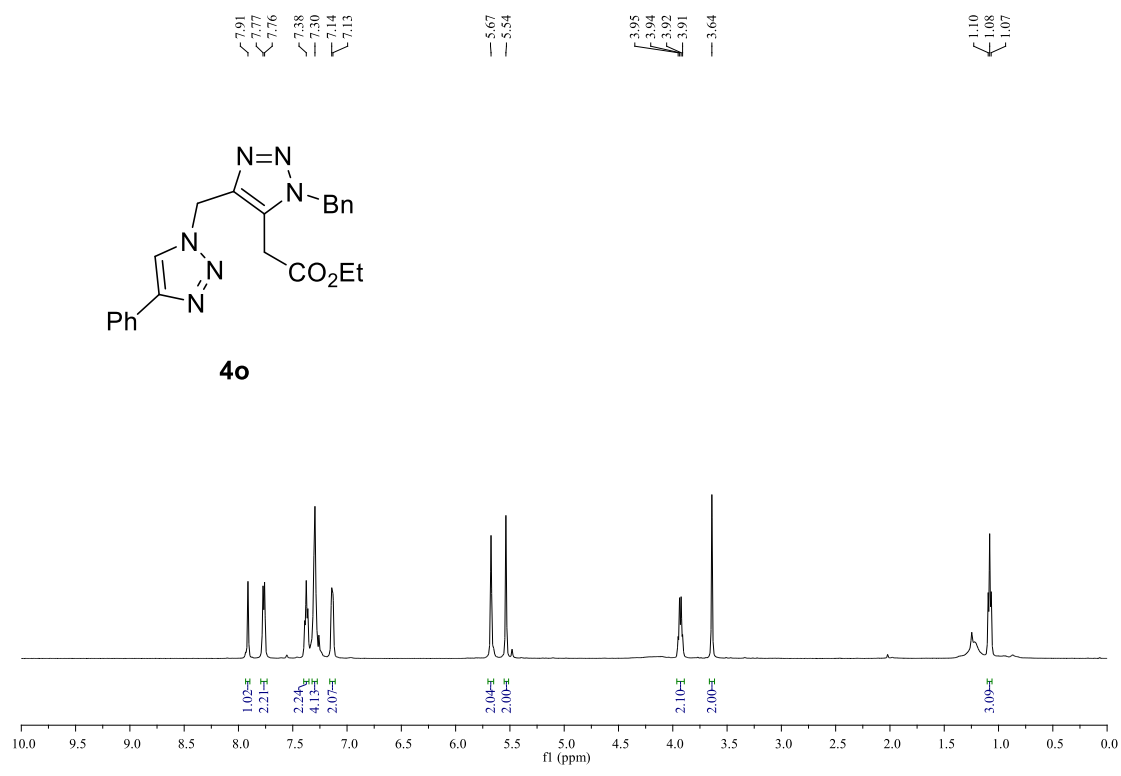
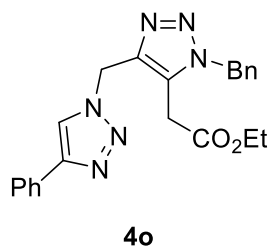


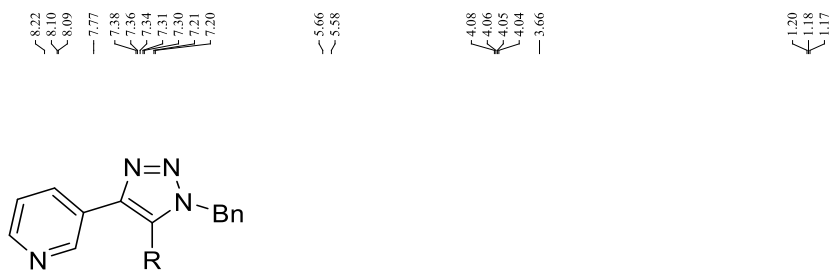


mixture of **4m** (R=CH₂CO₂Et) and **4m'** (R=H)

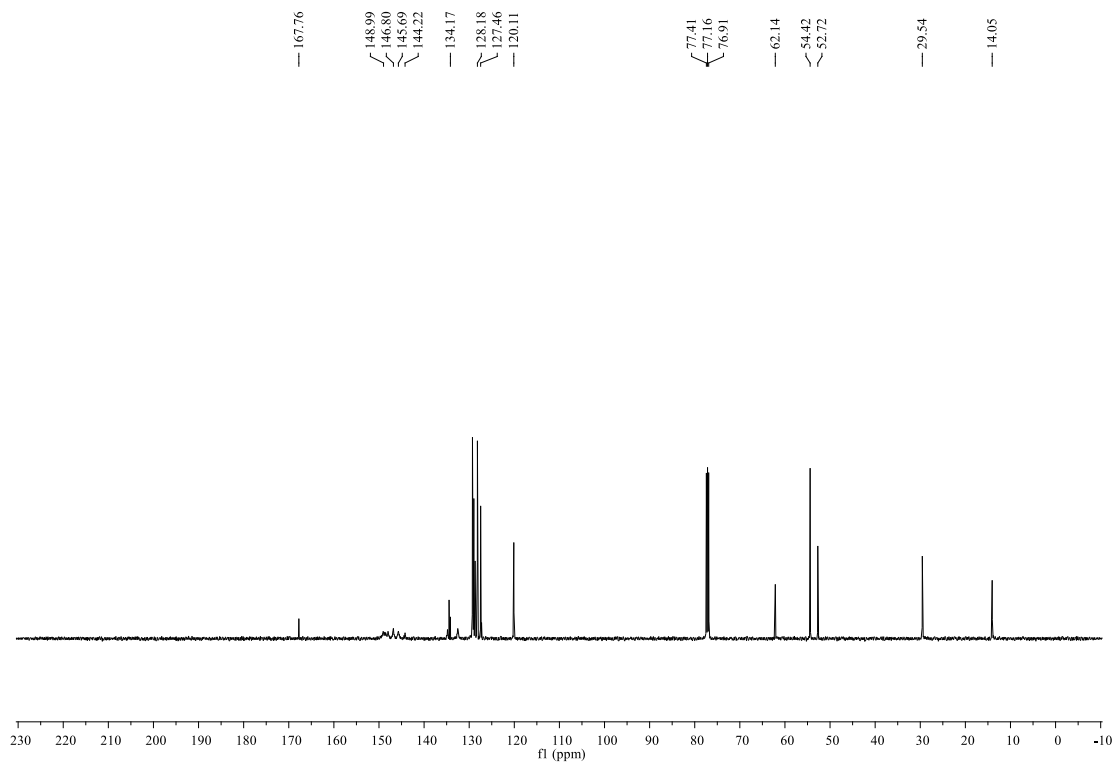
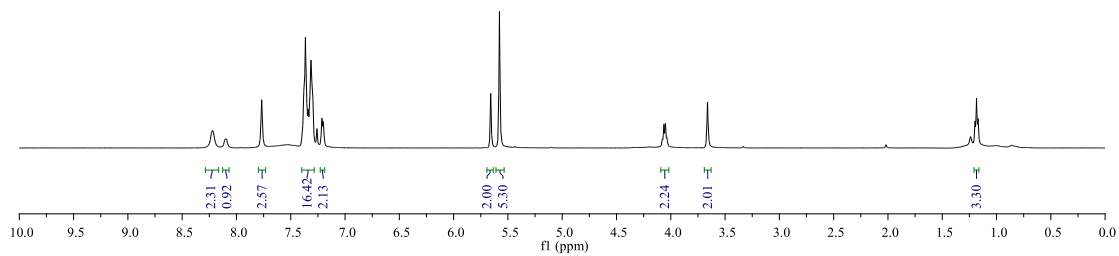


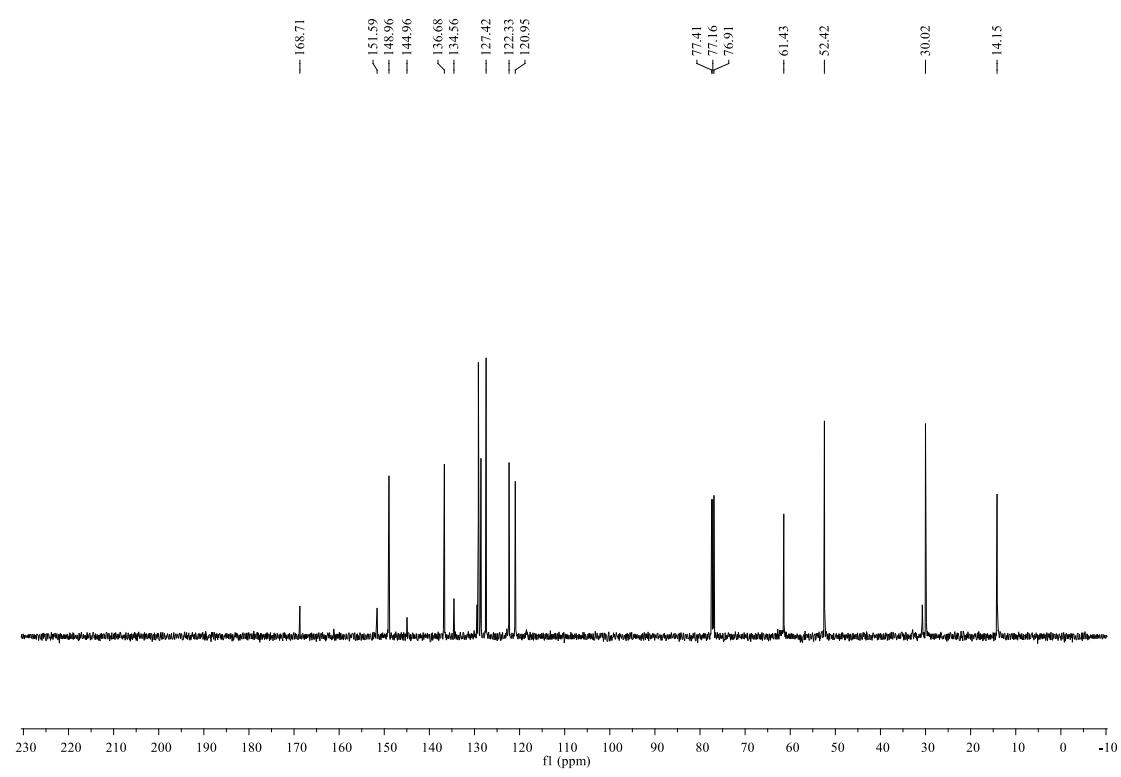
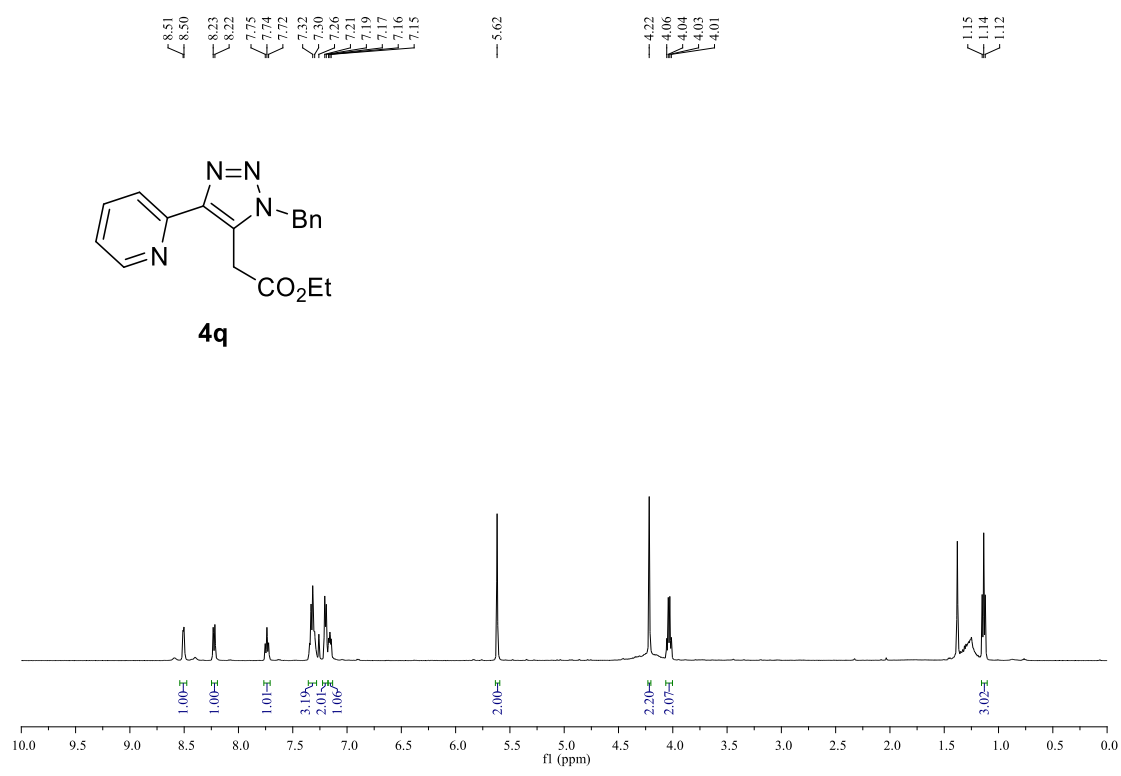


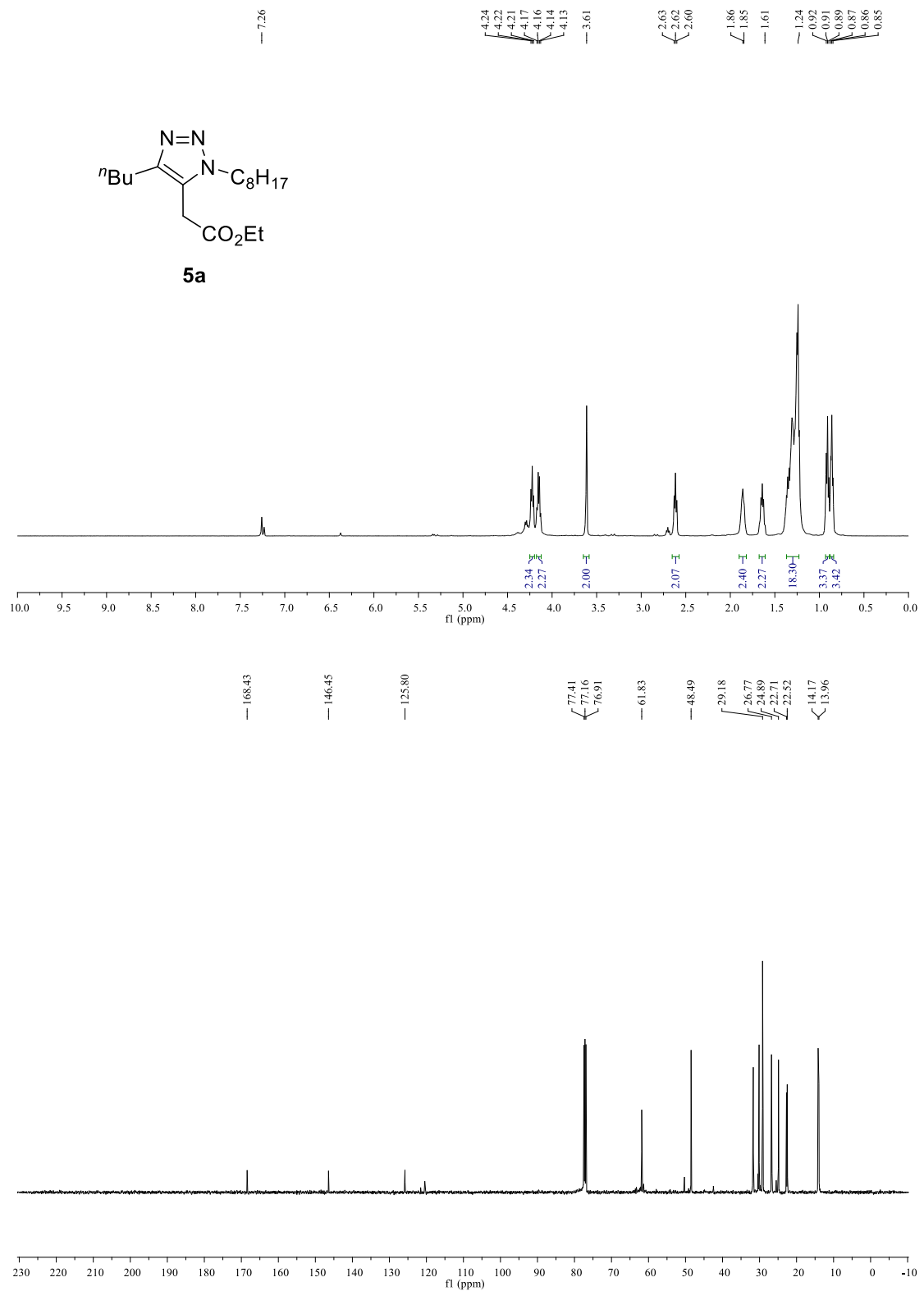


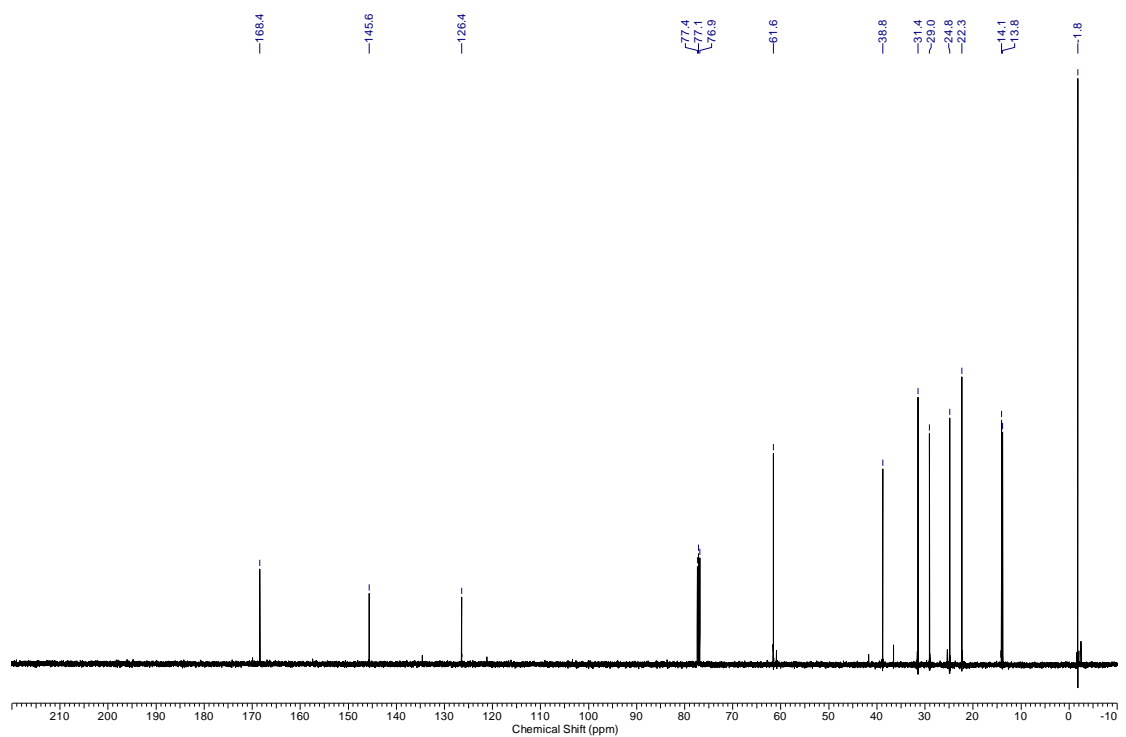
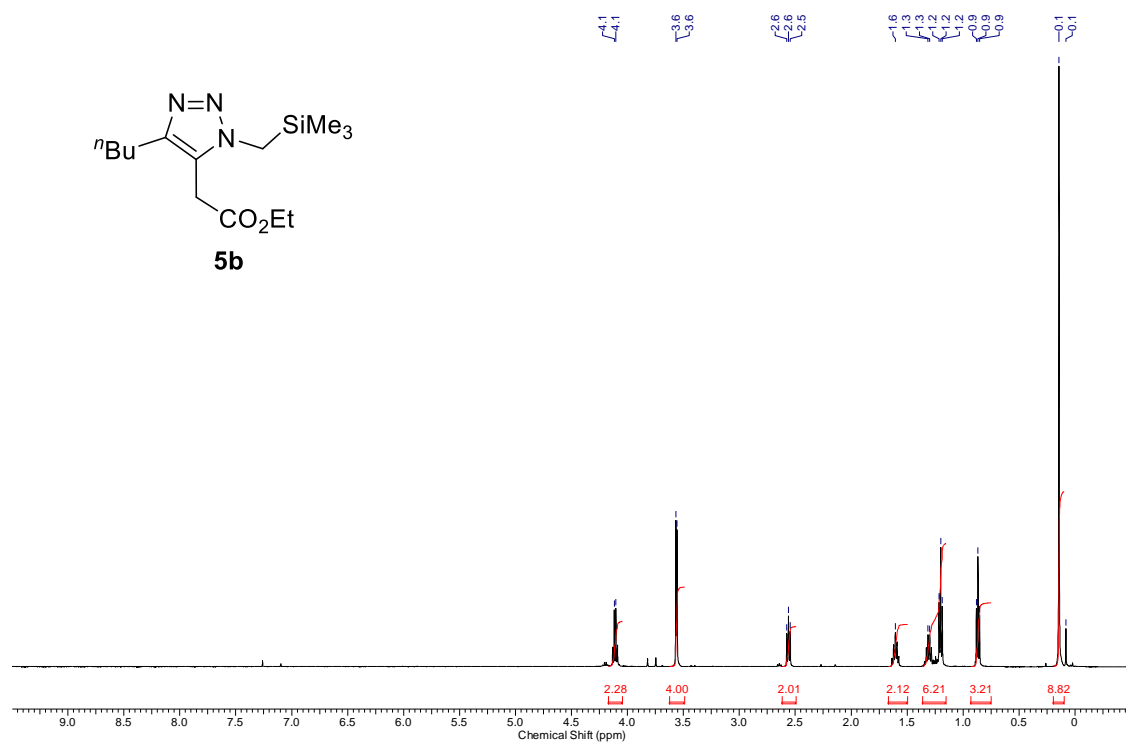


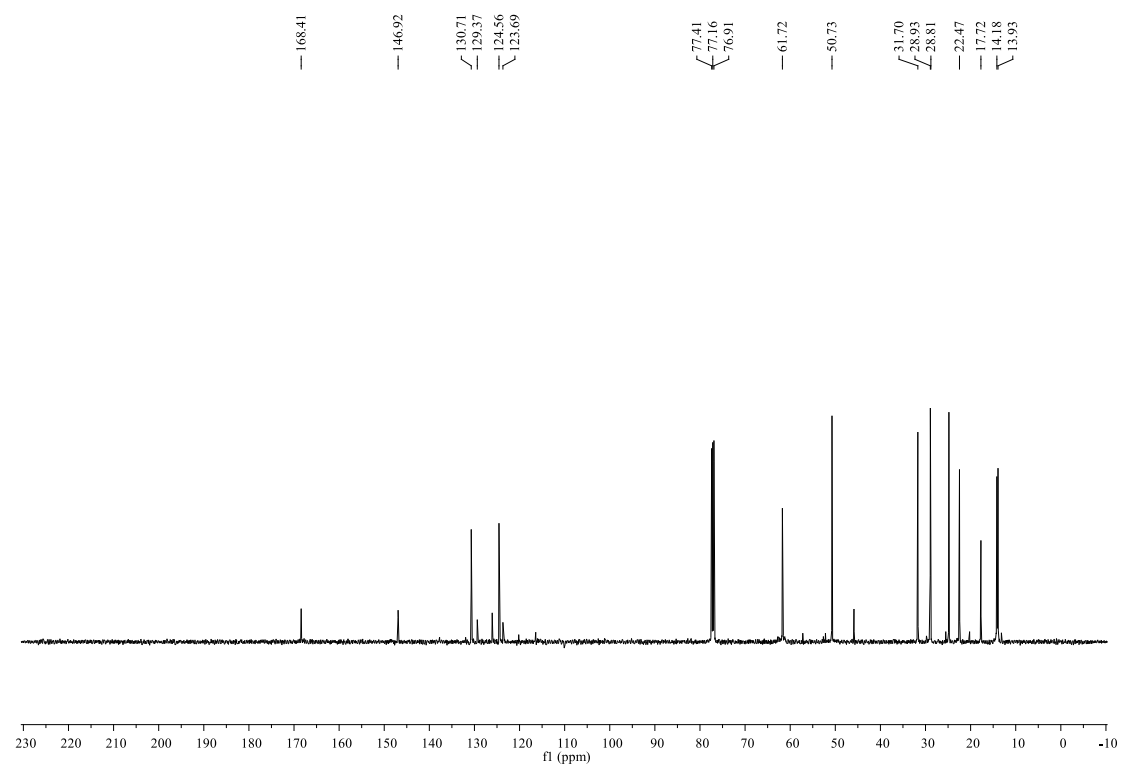
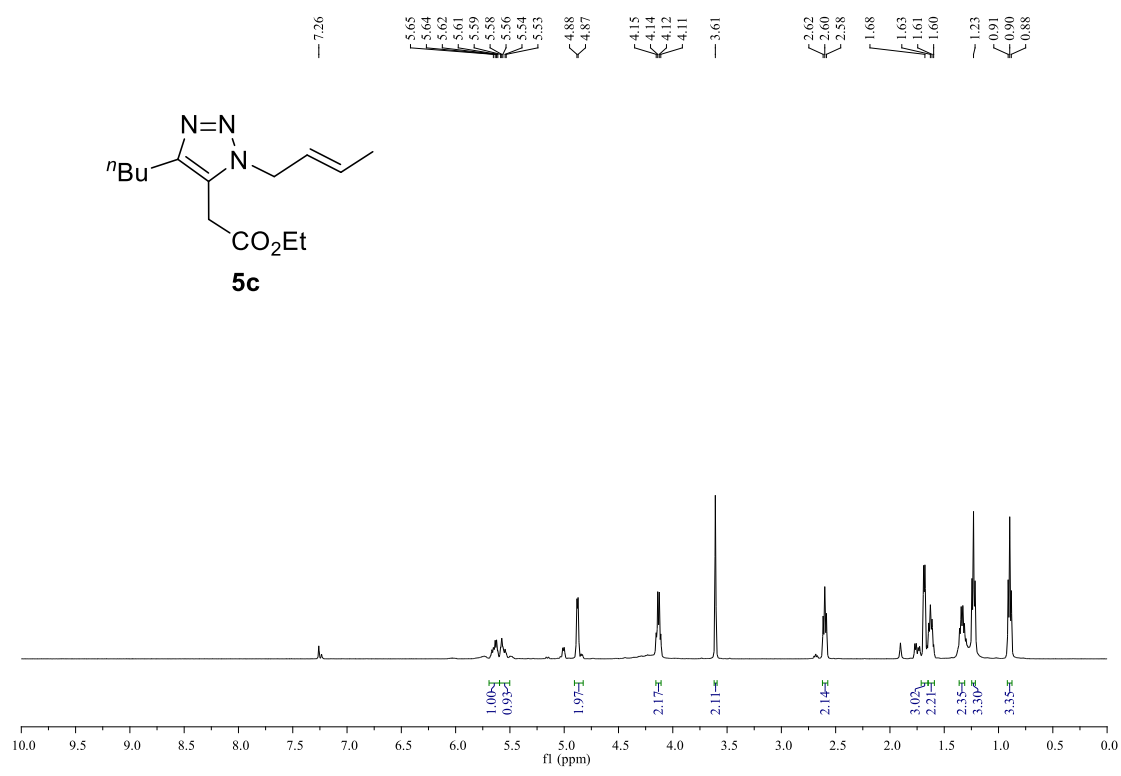
mixture of **4p** (R=CH₂CO₂Et) and **4p'** (R=H)

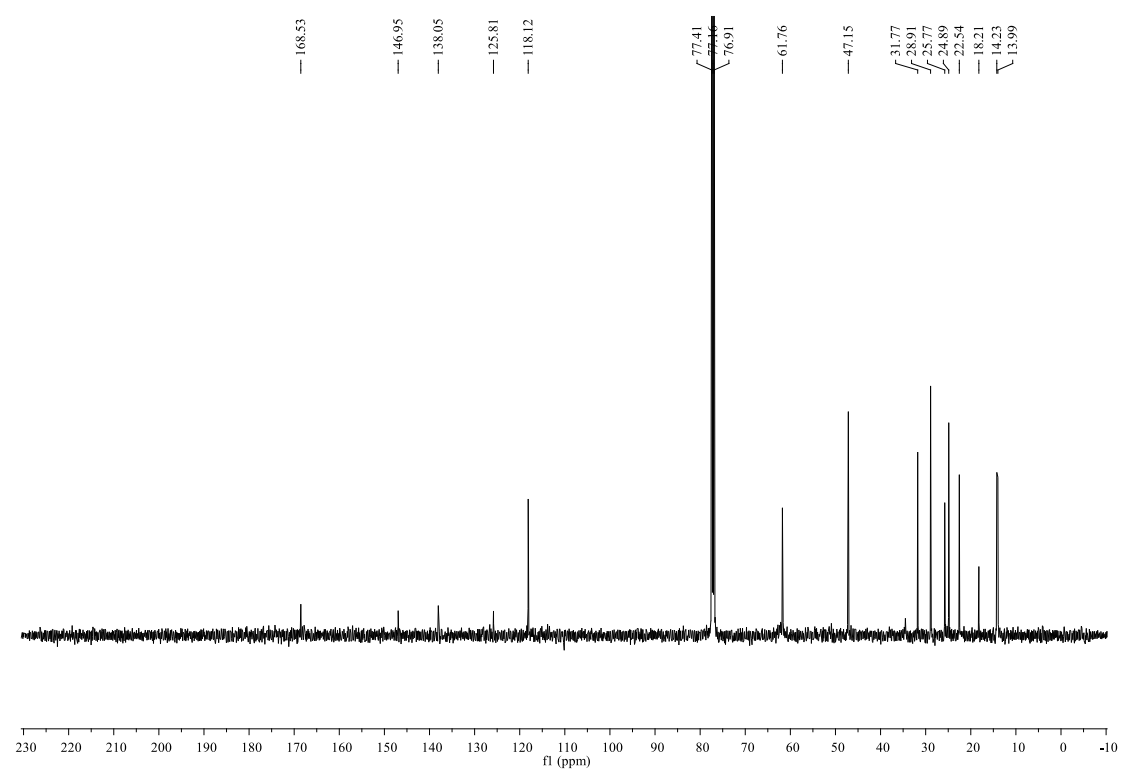
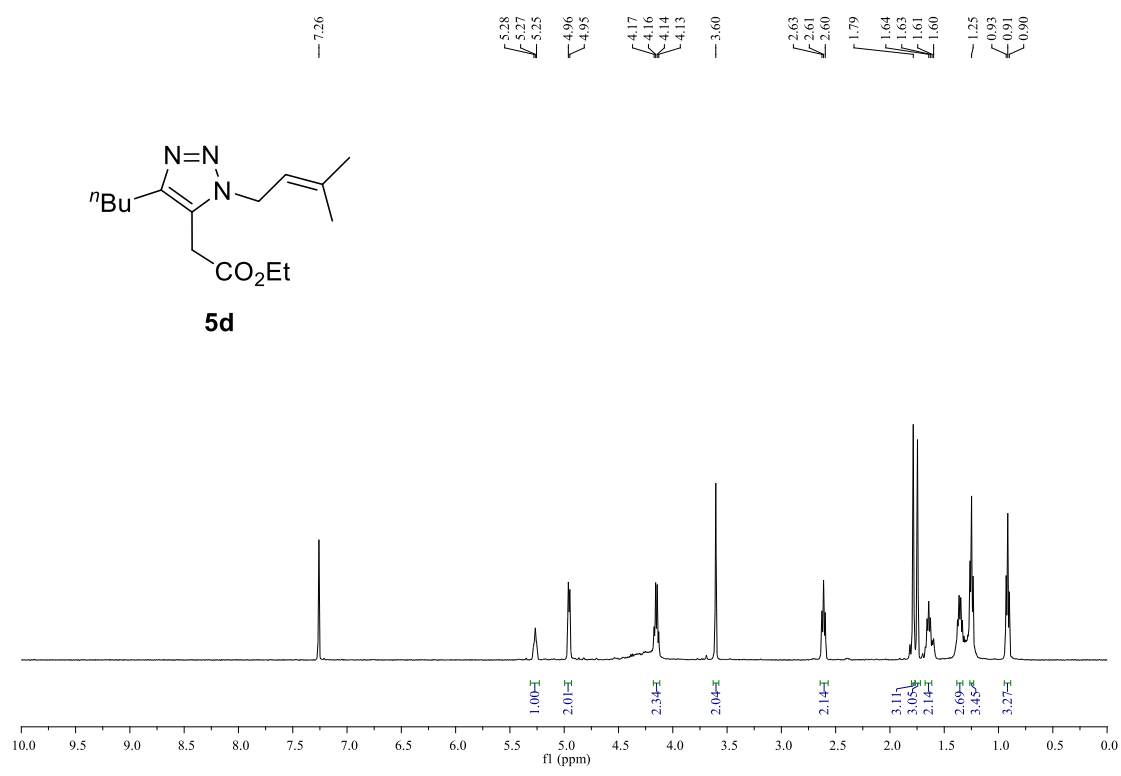


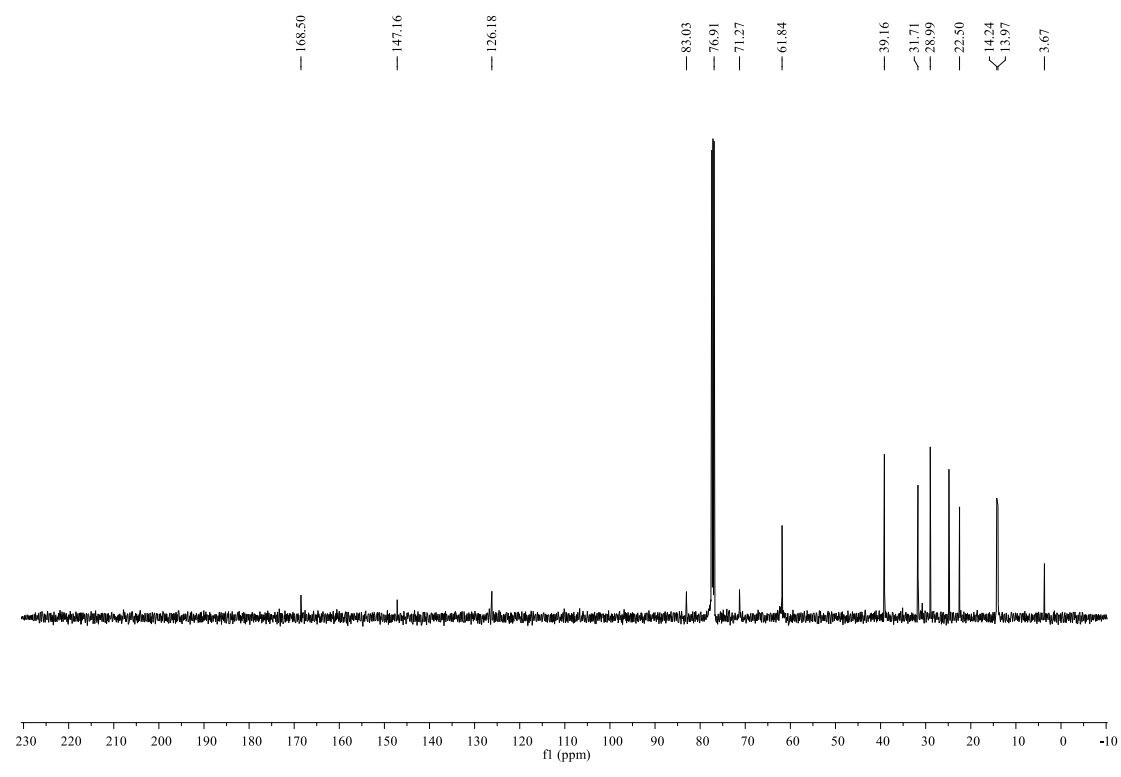
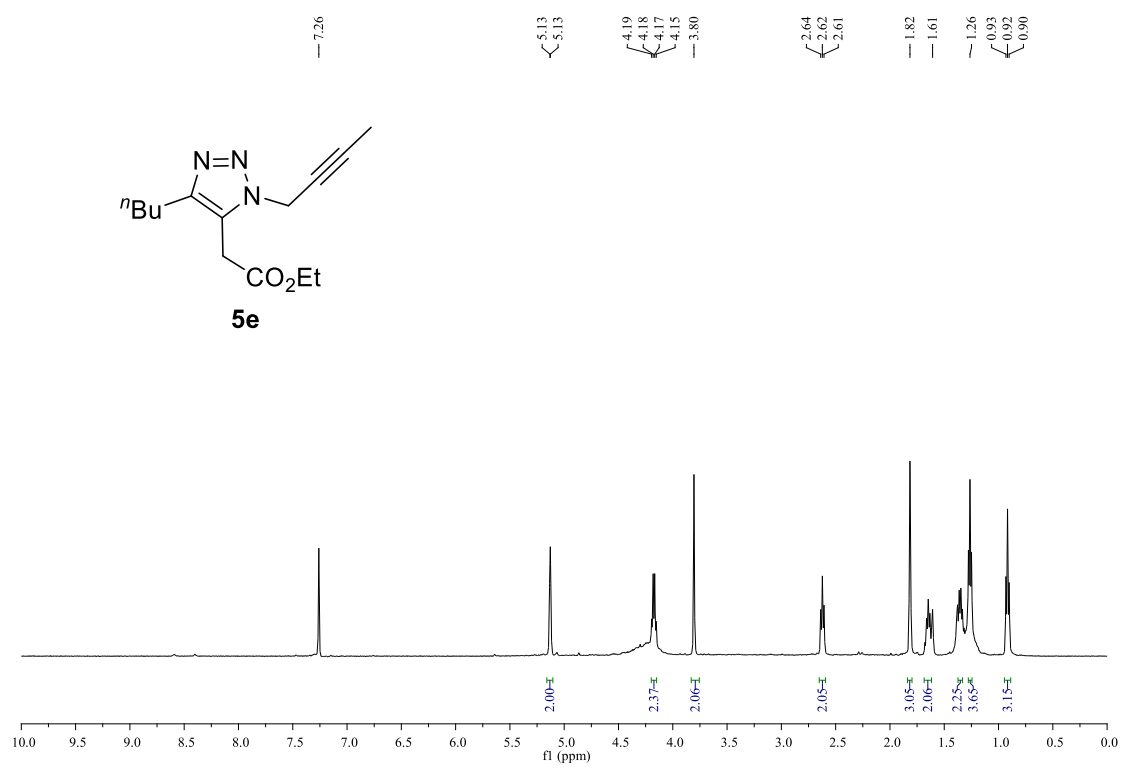


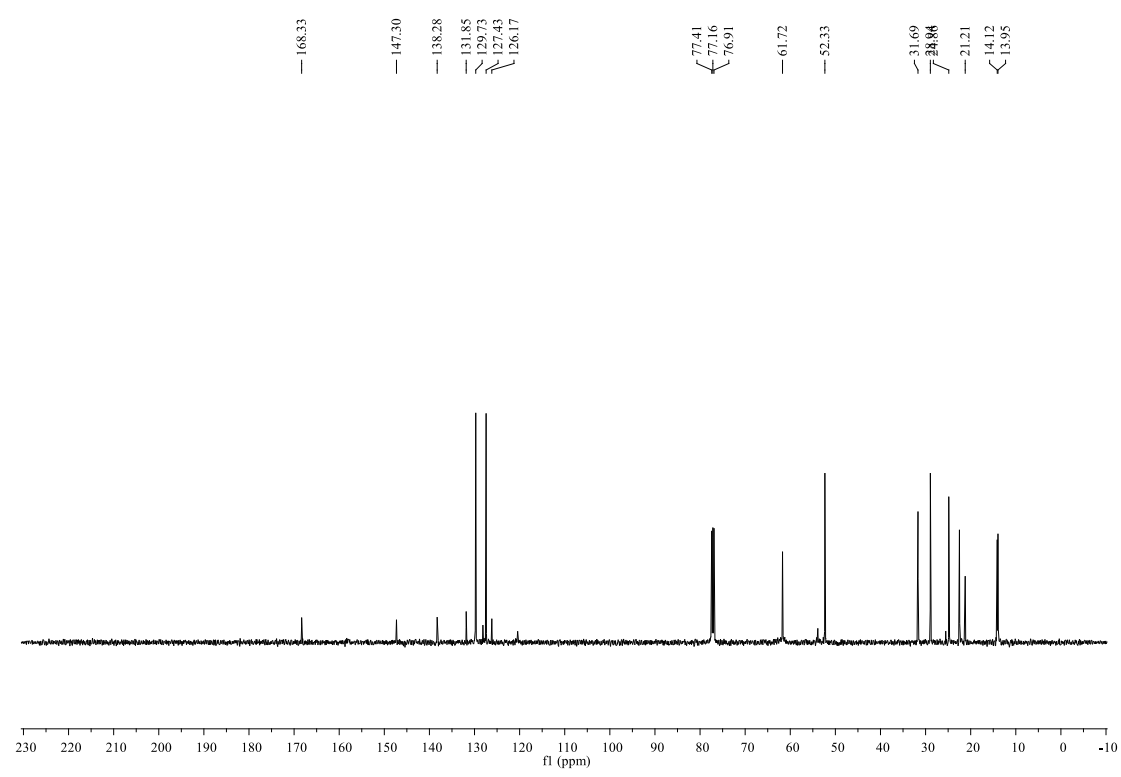
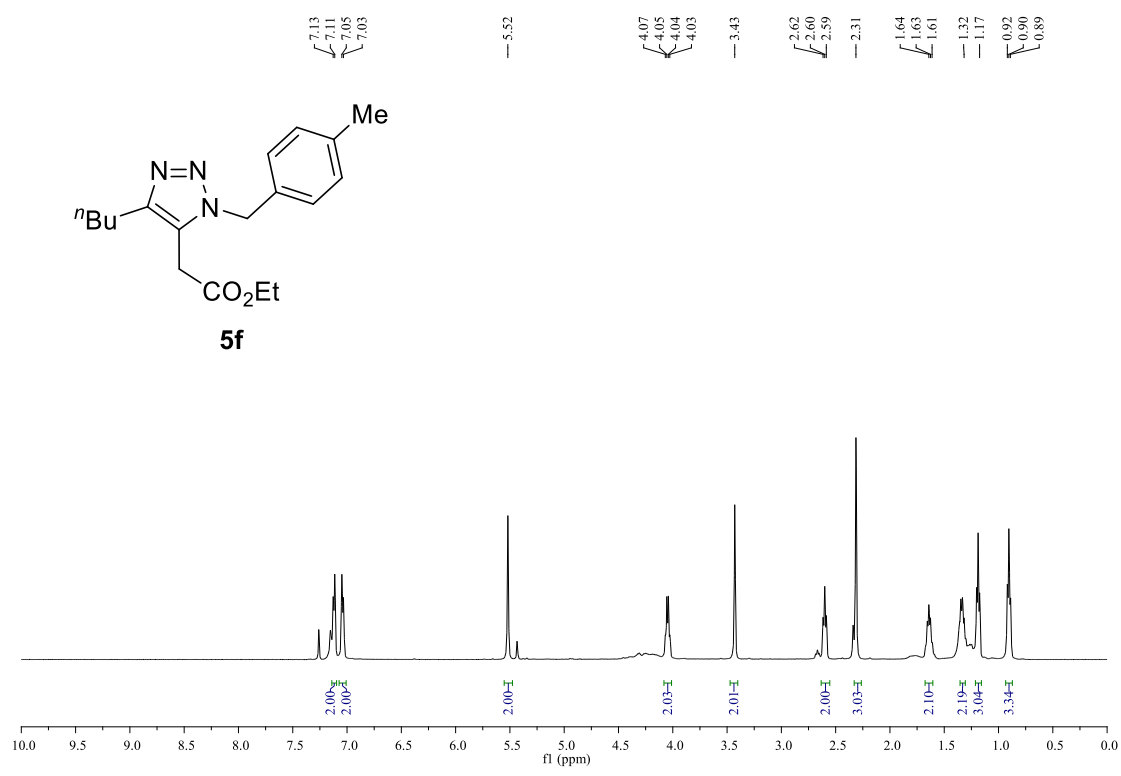


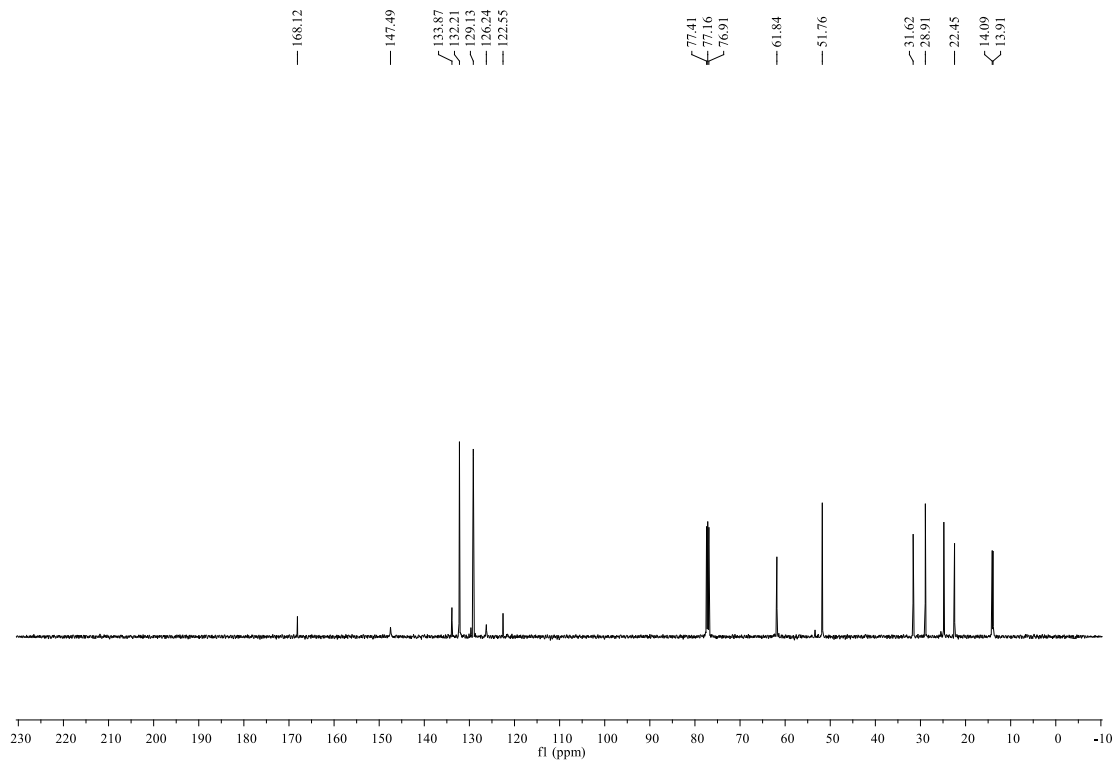
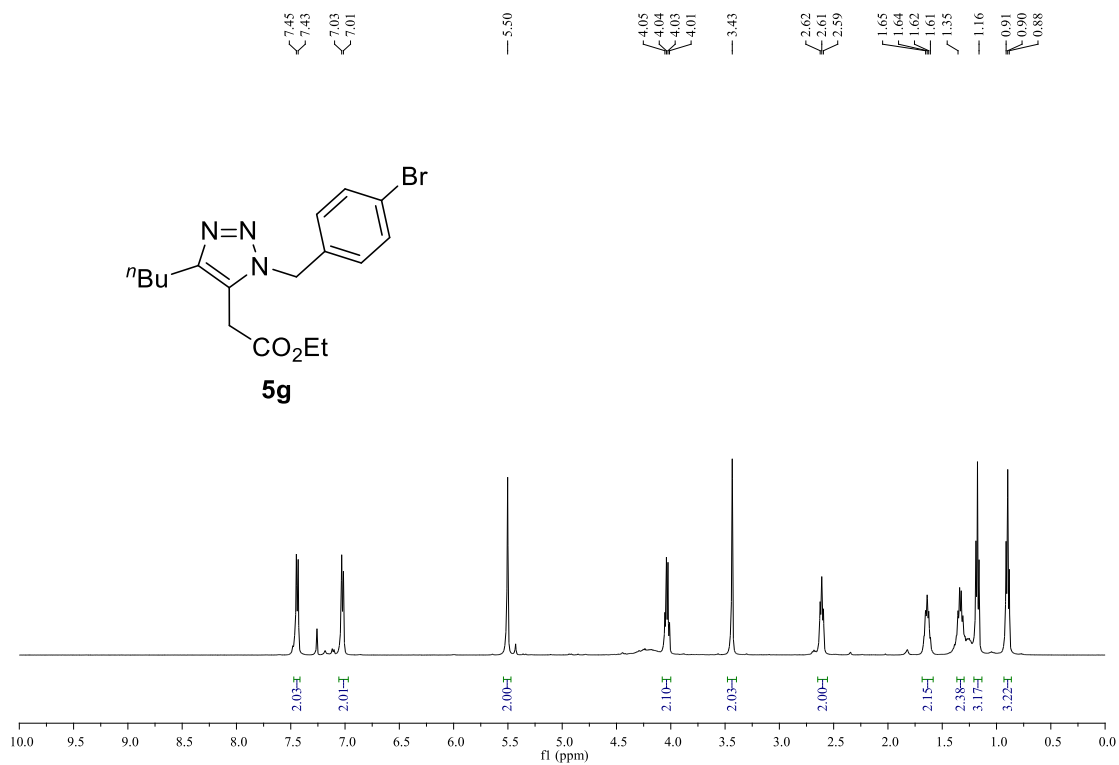
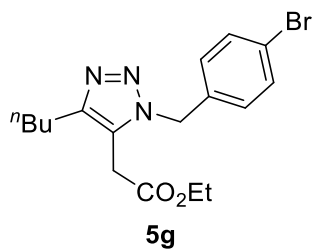


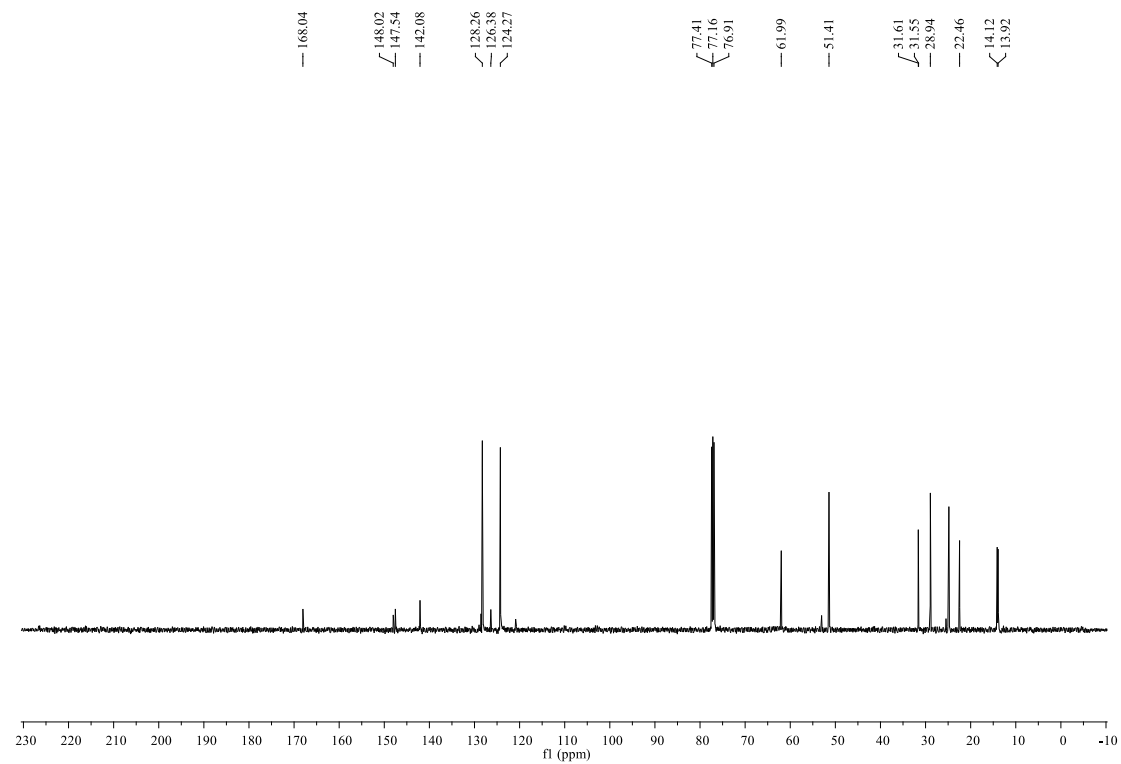
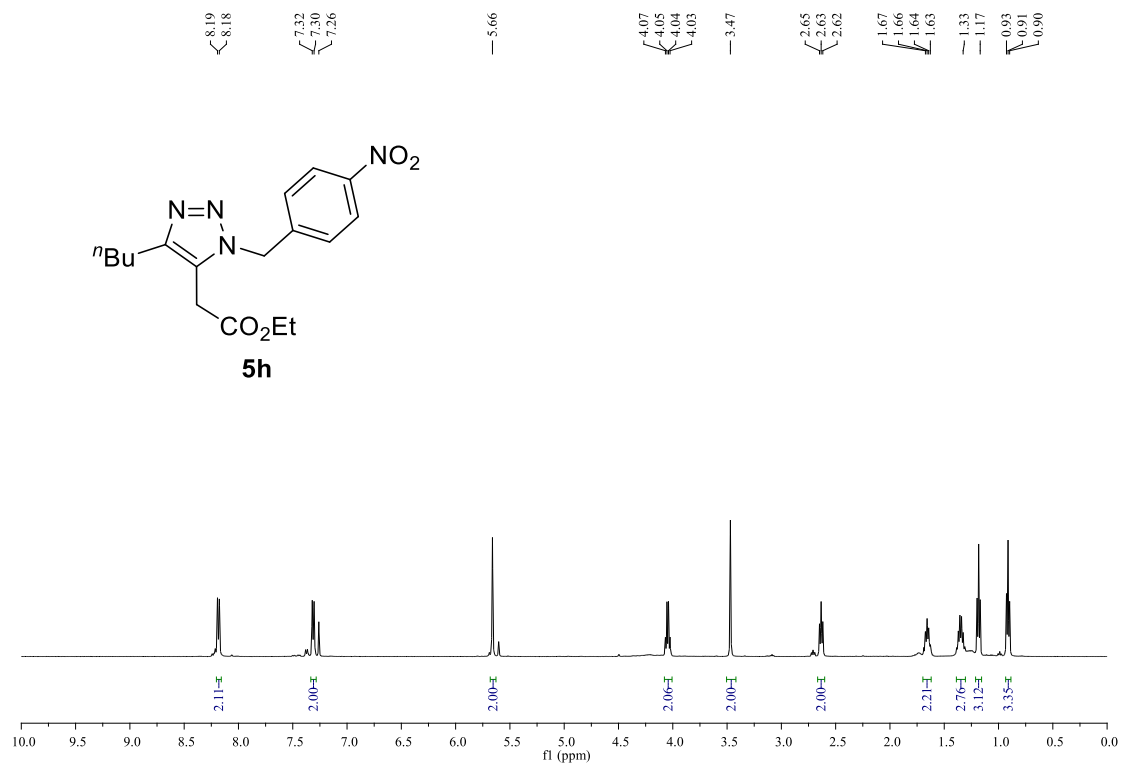


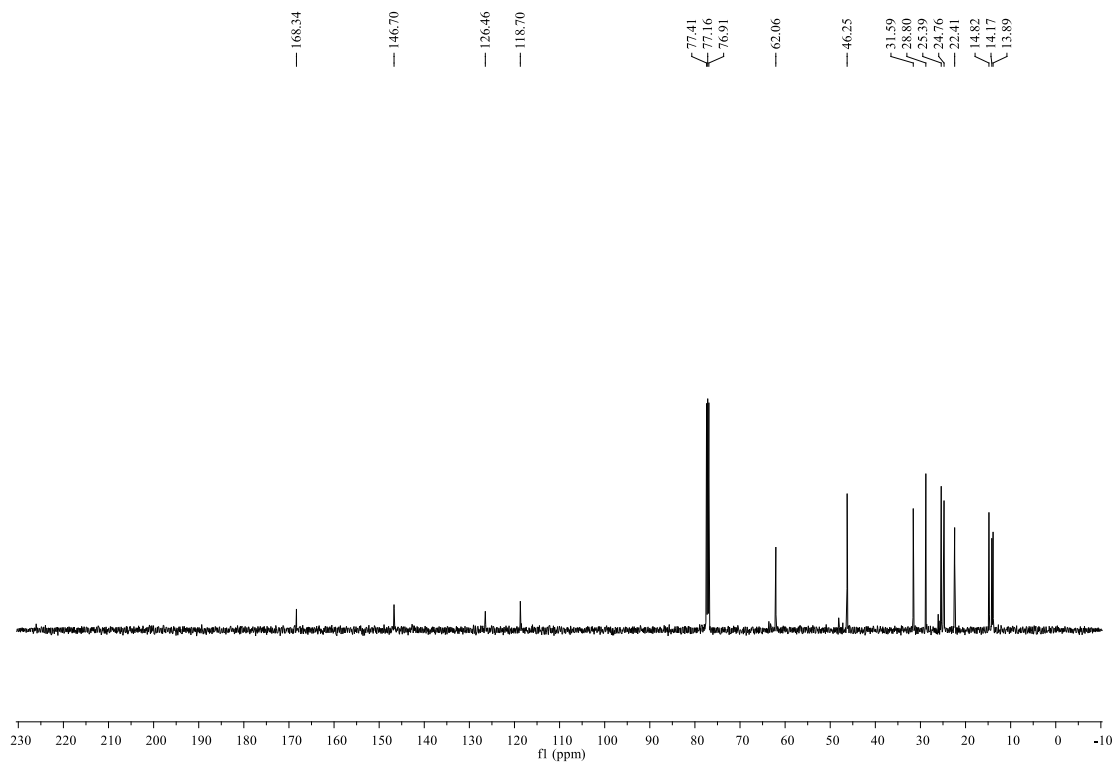
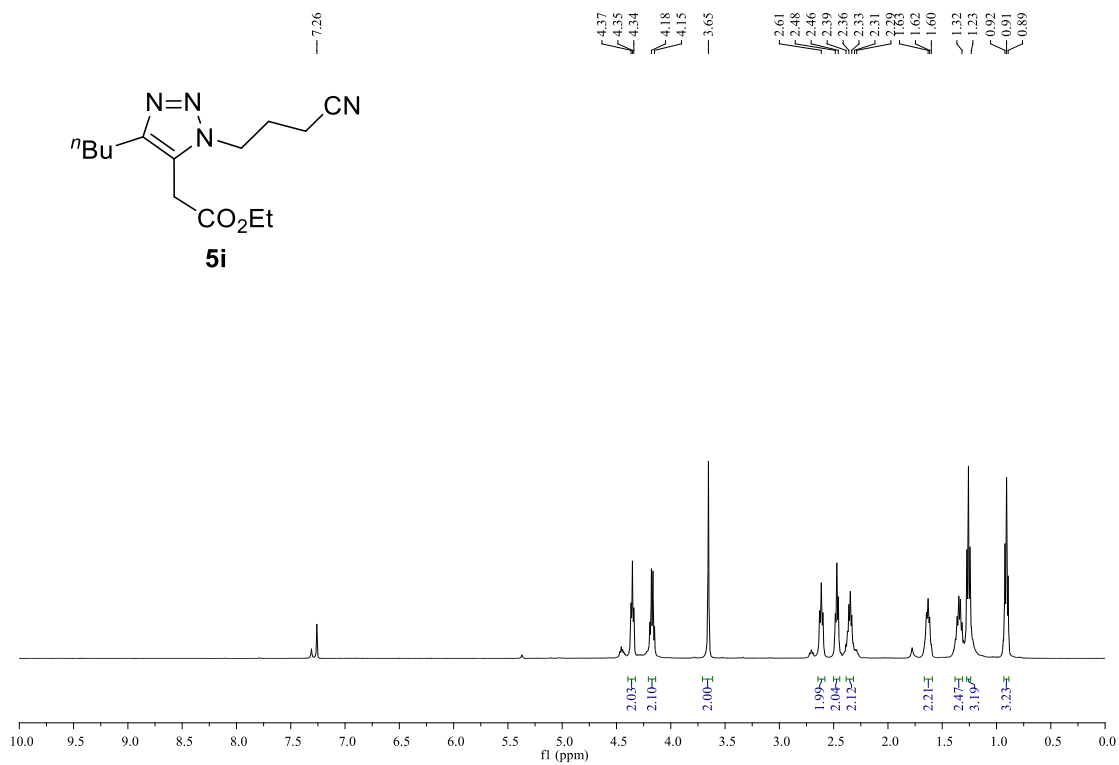
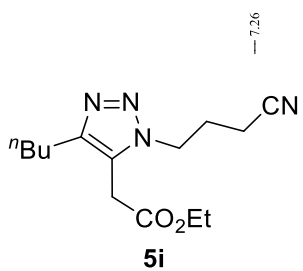


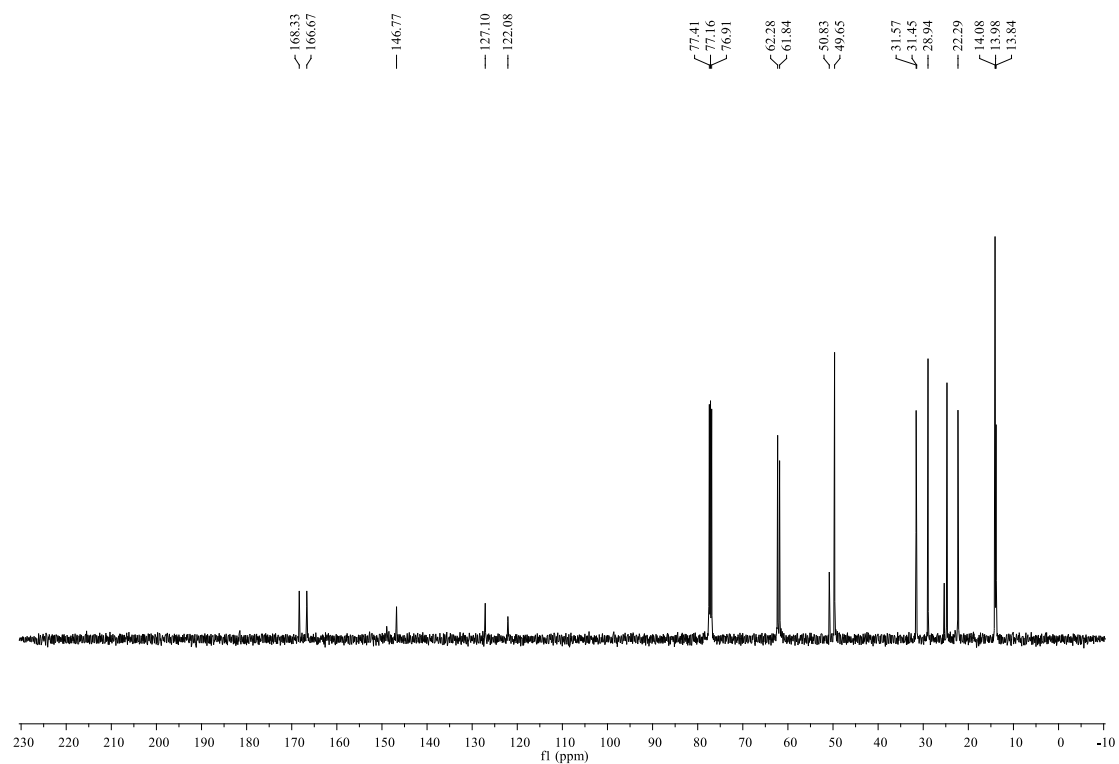
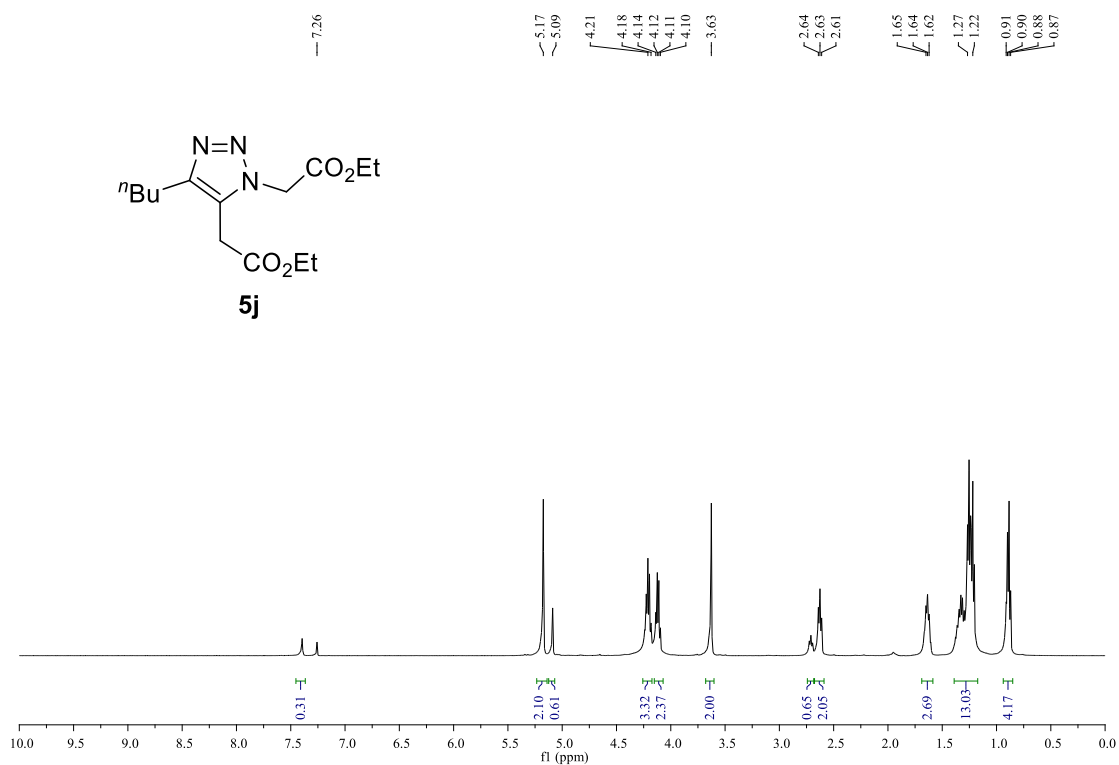
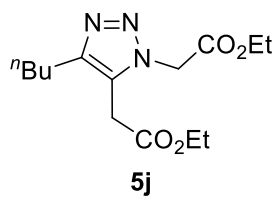


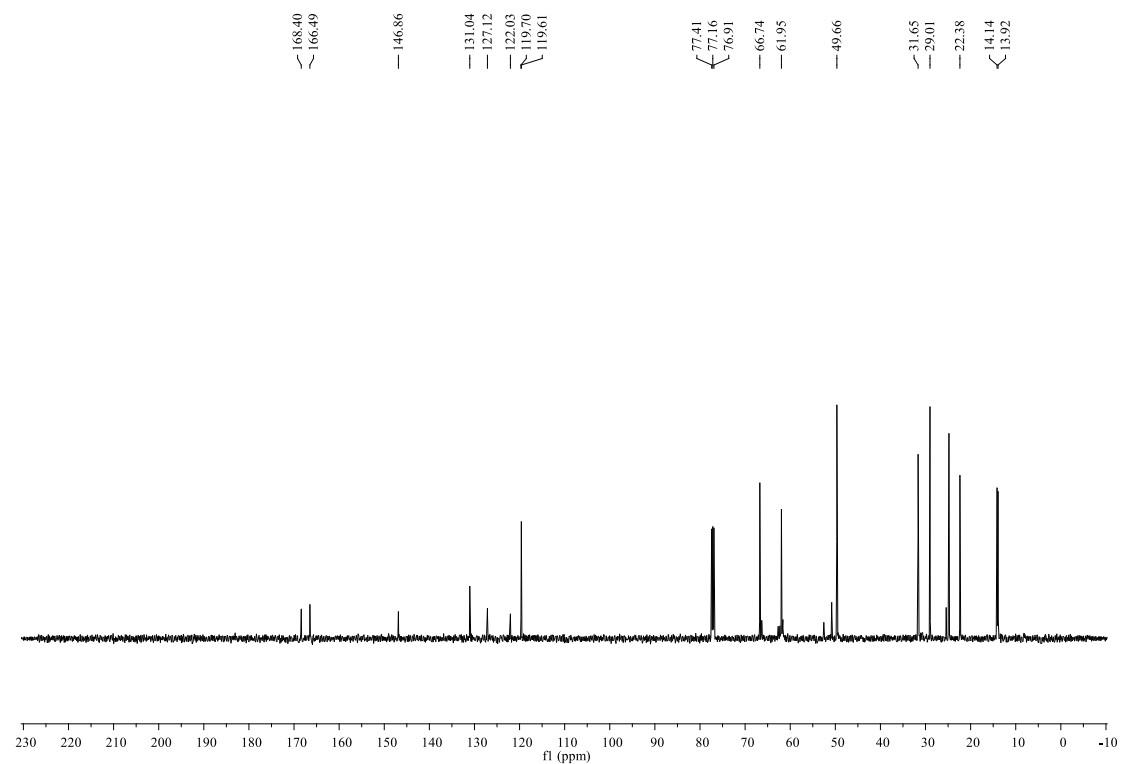
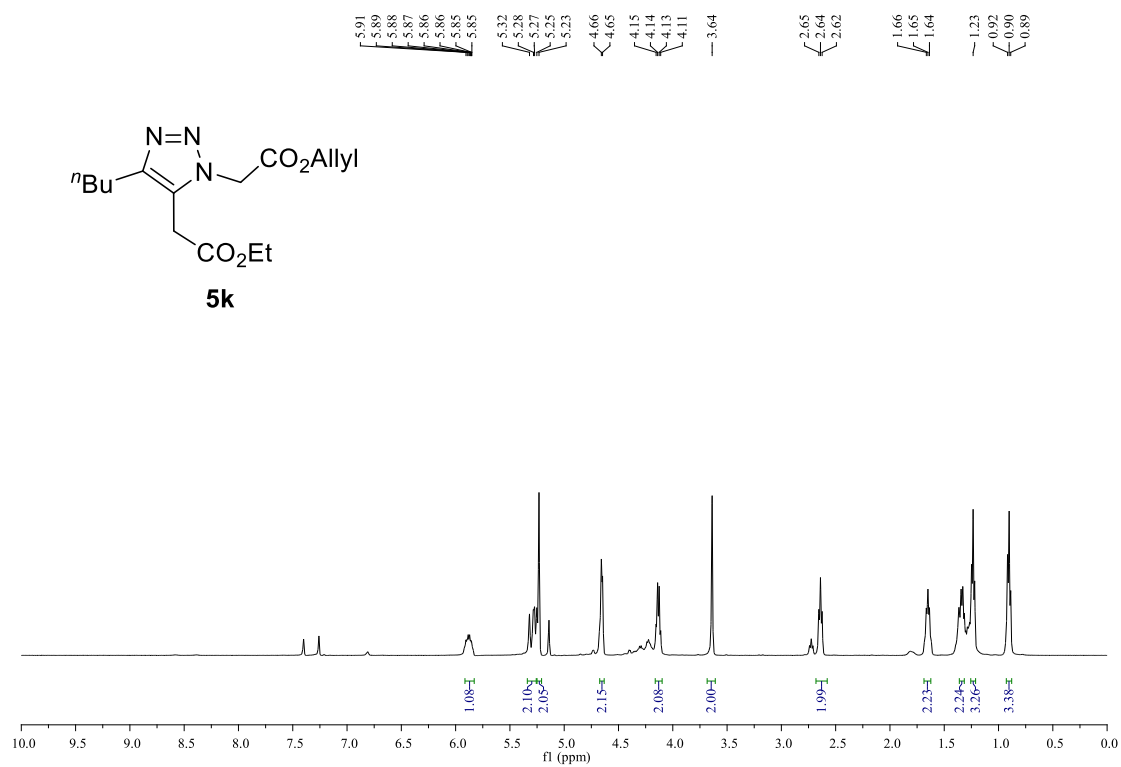
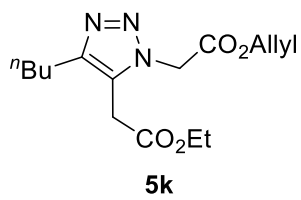


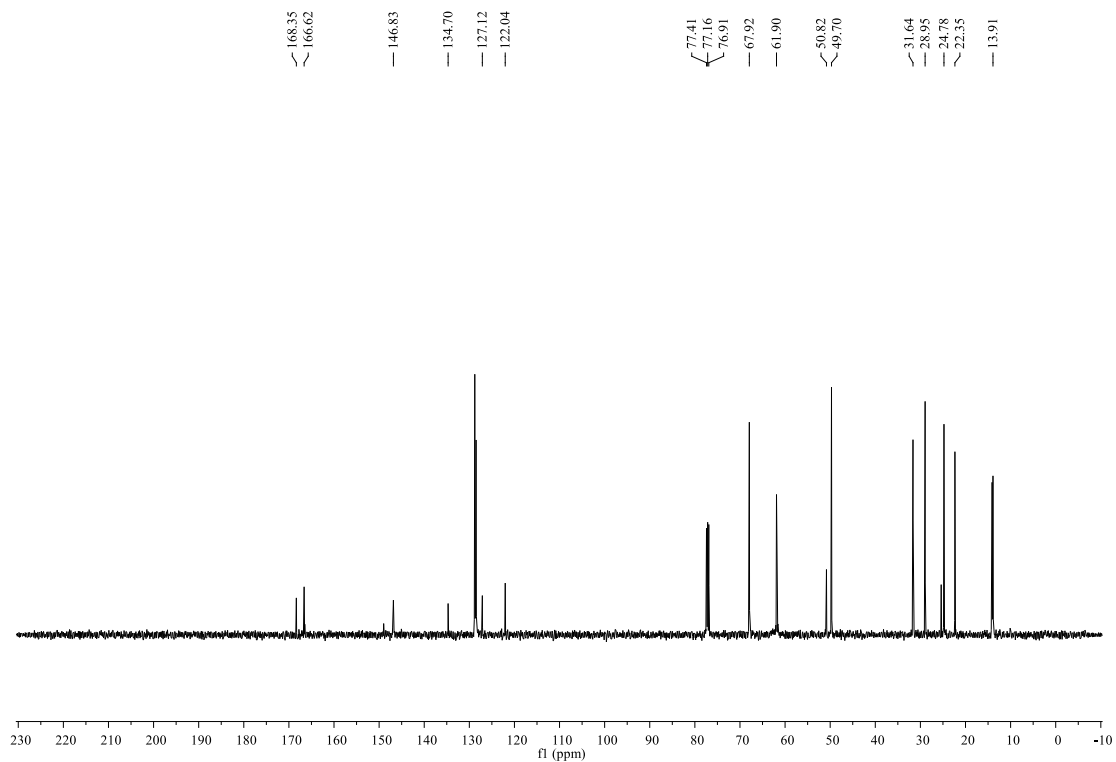
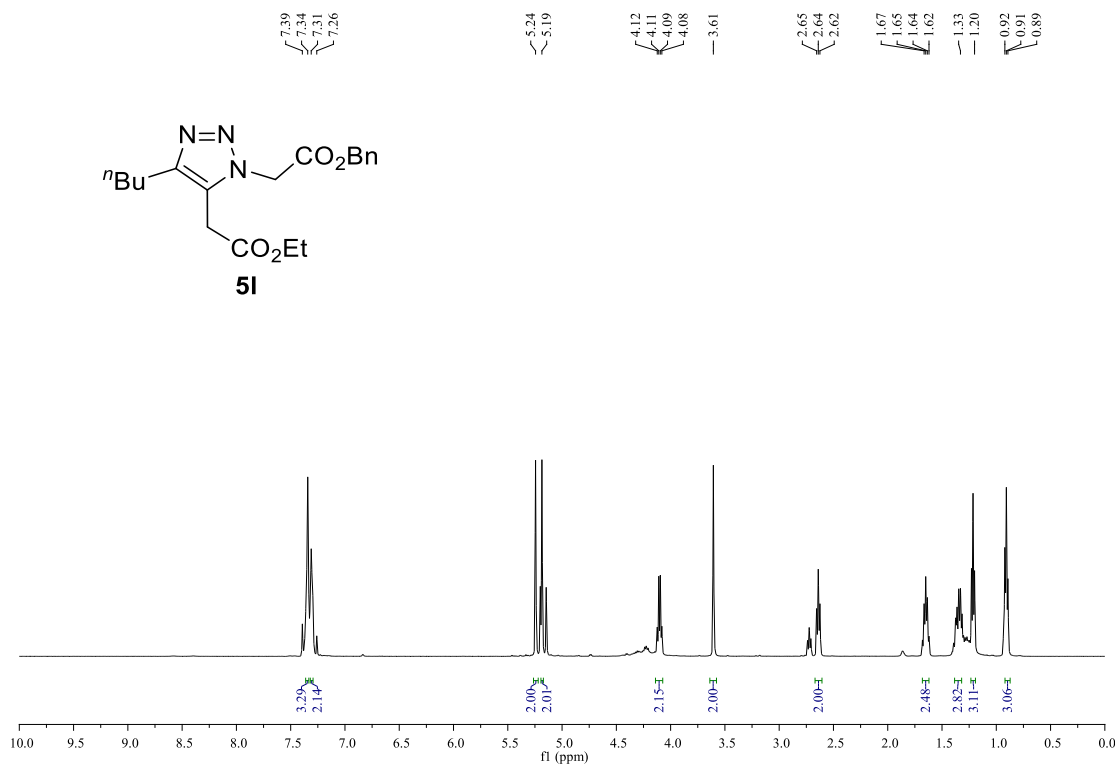
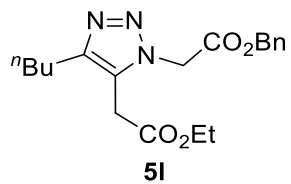


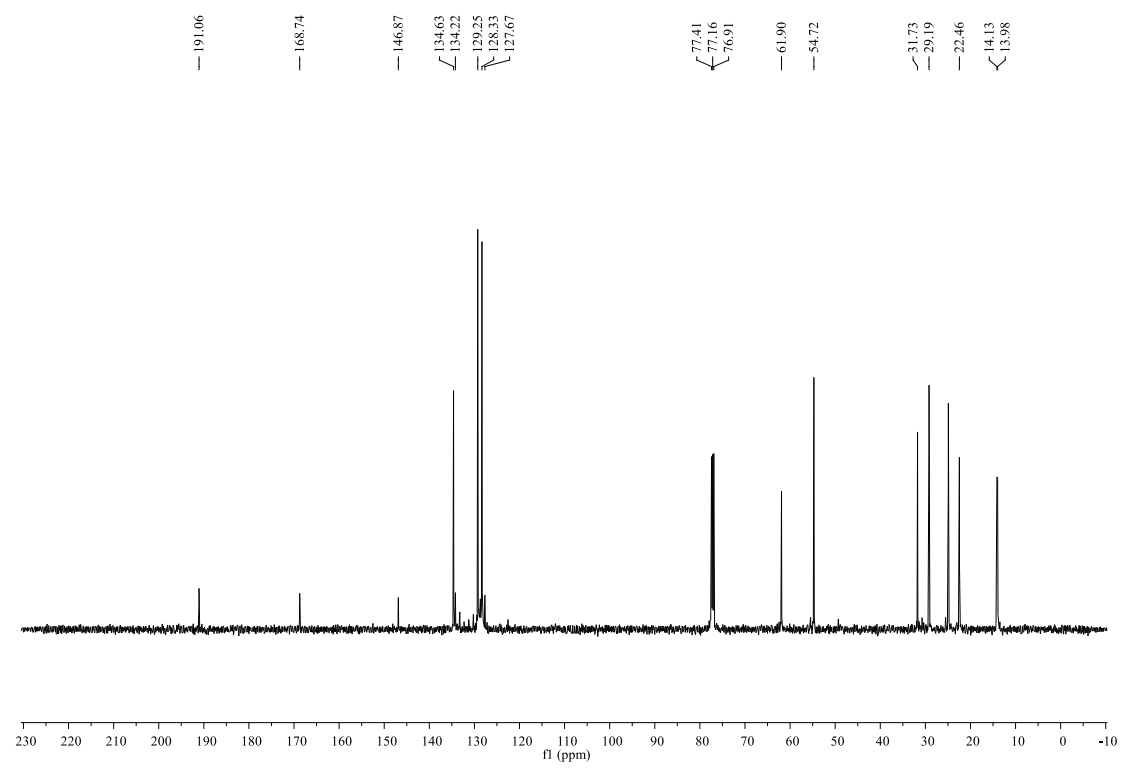
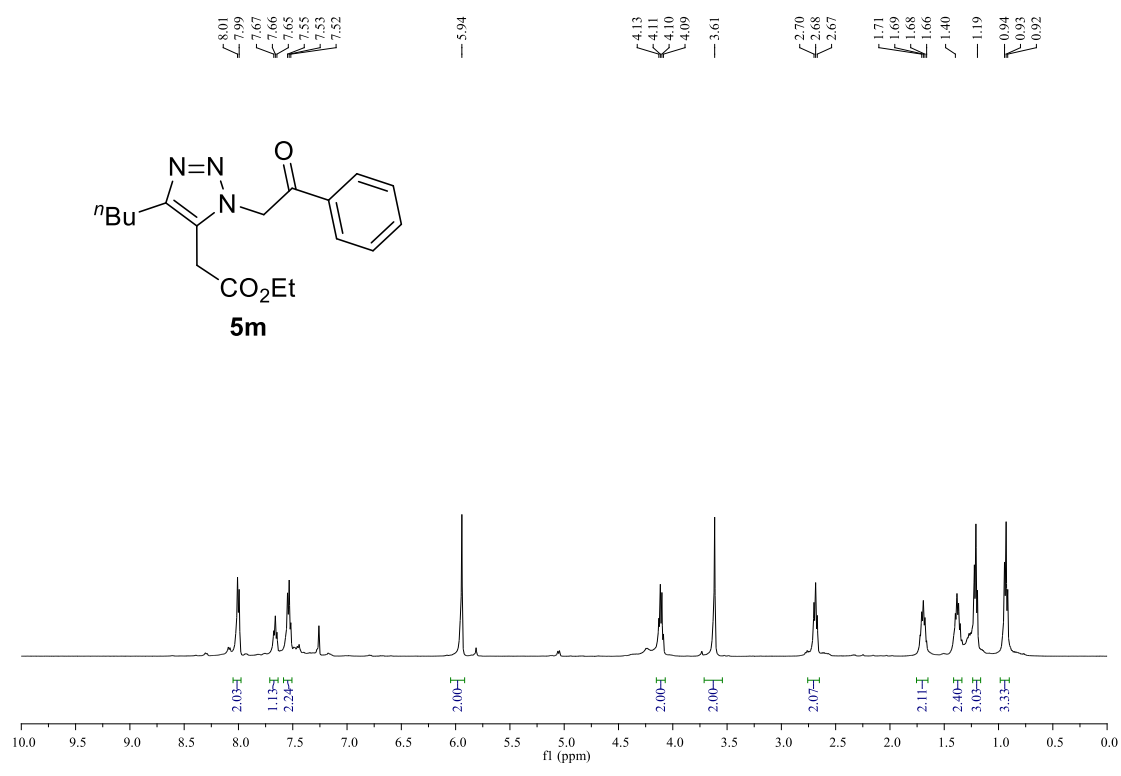


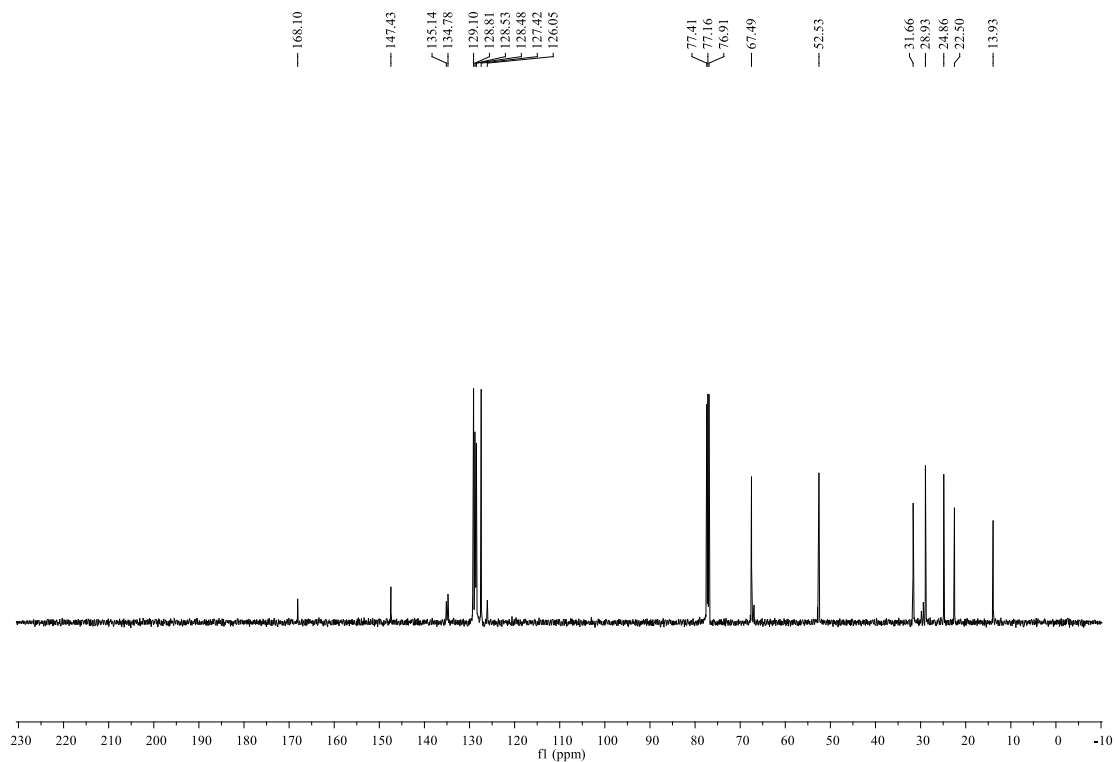
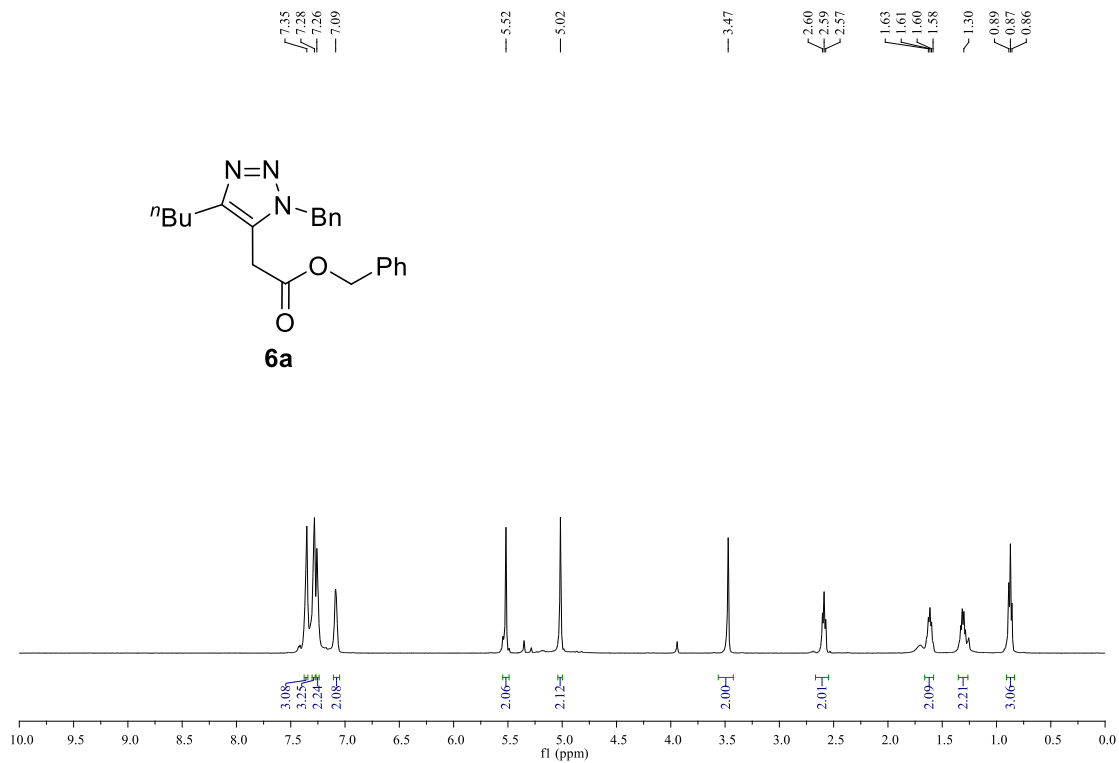
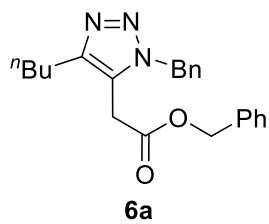


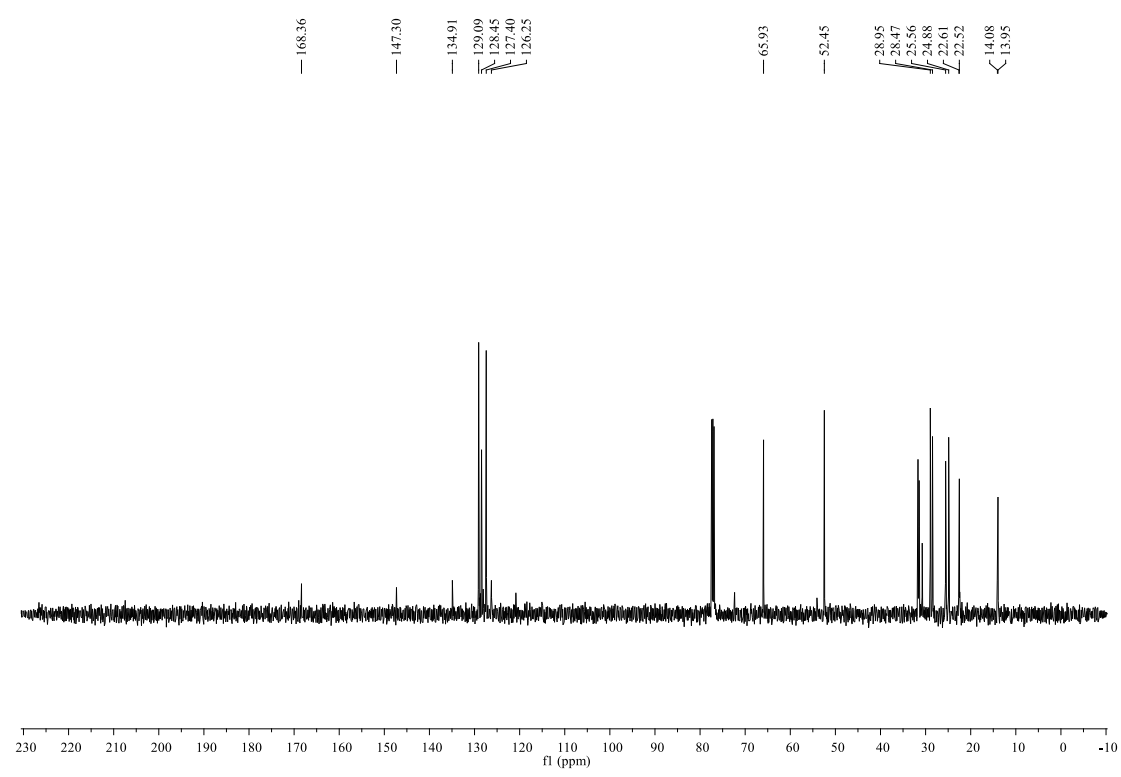
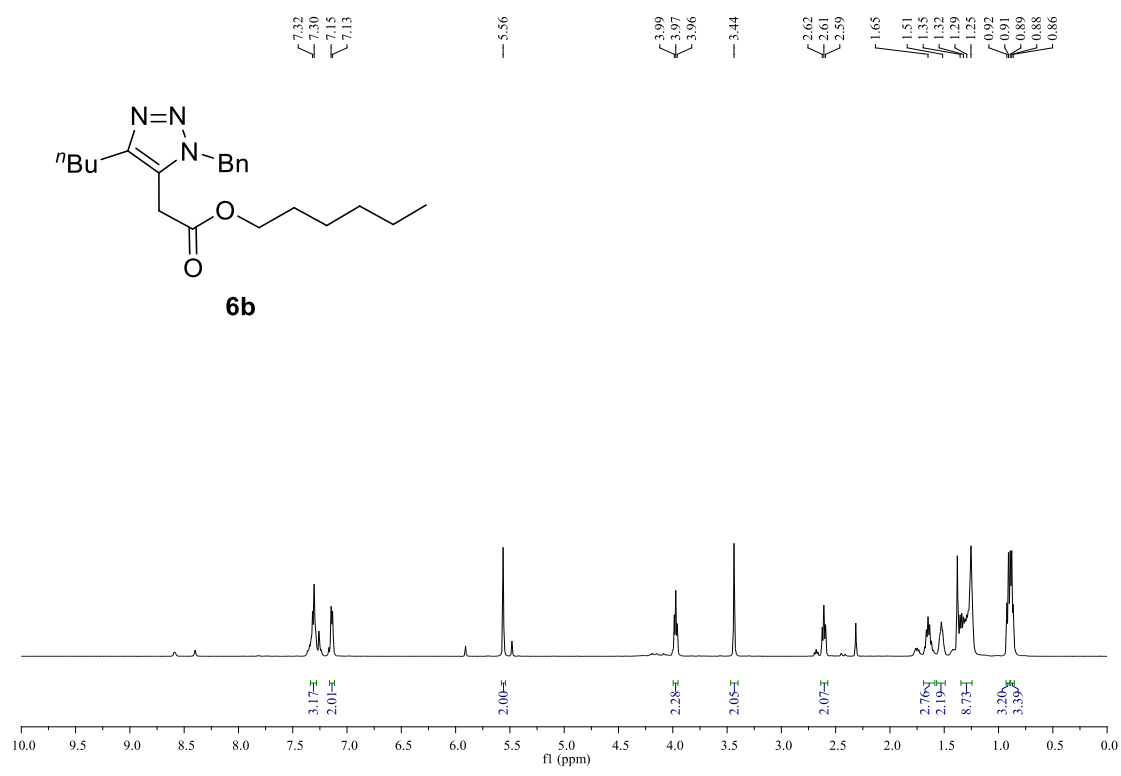


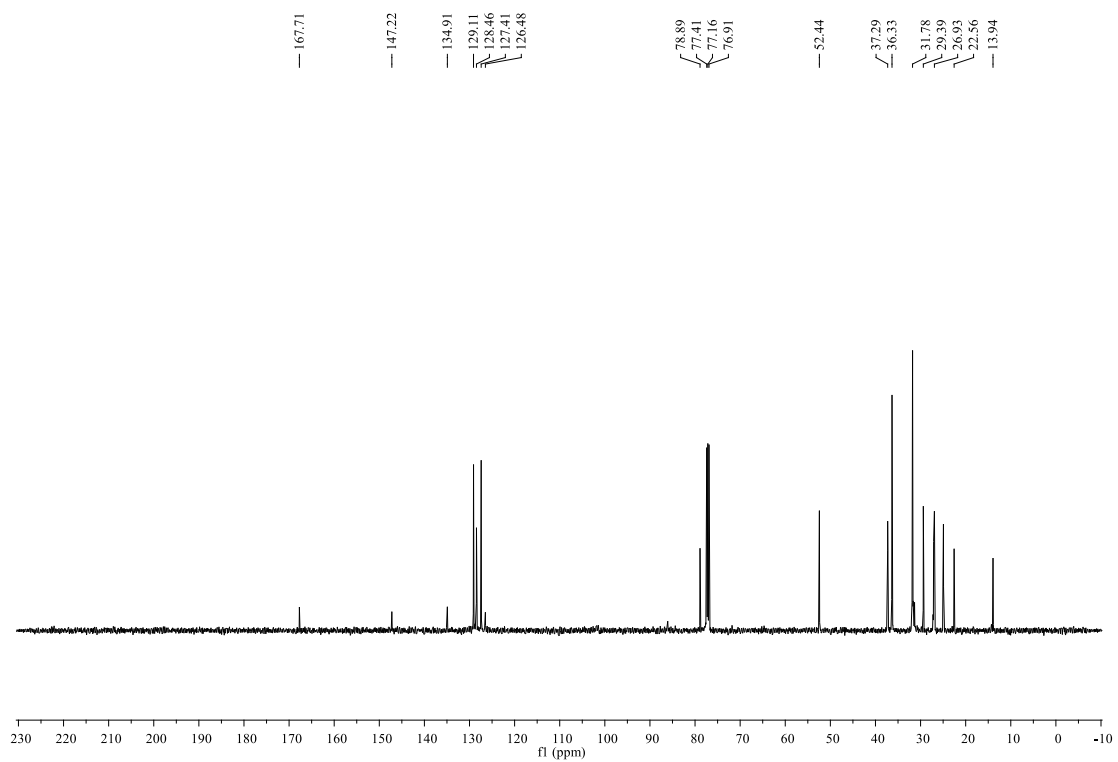
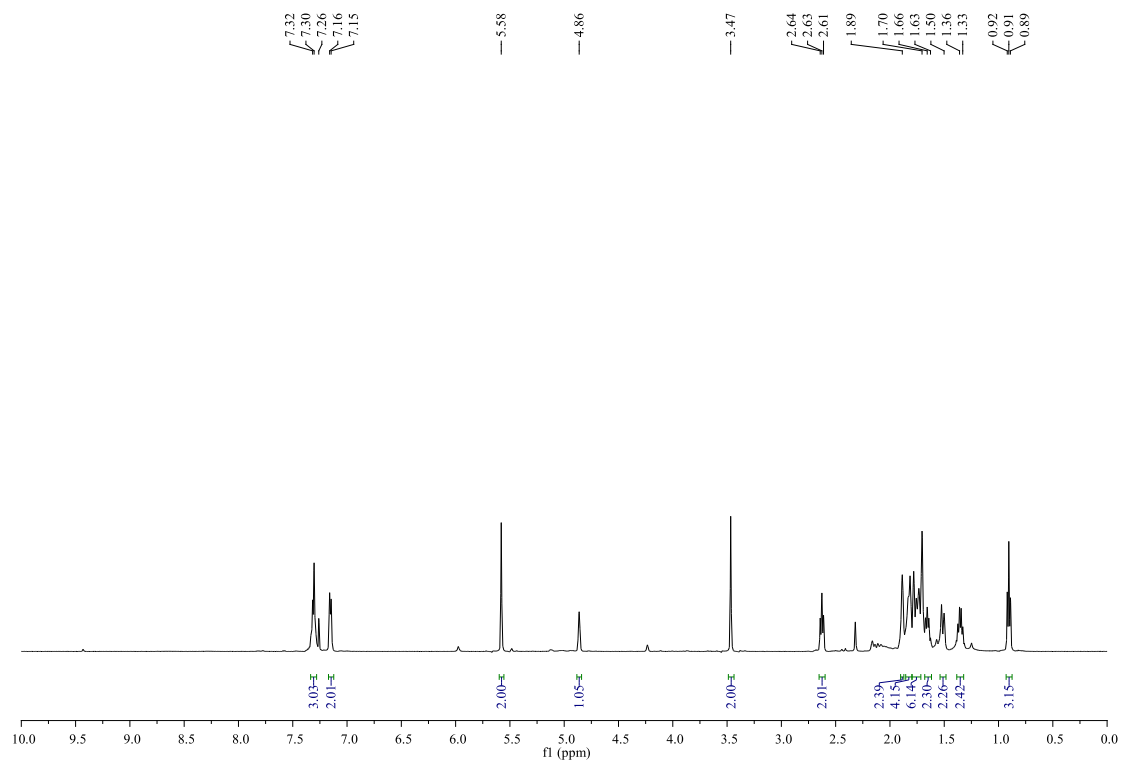
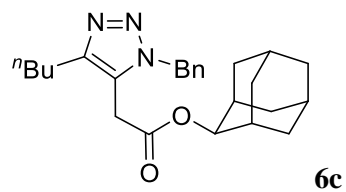


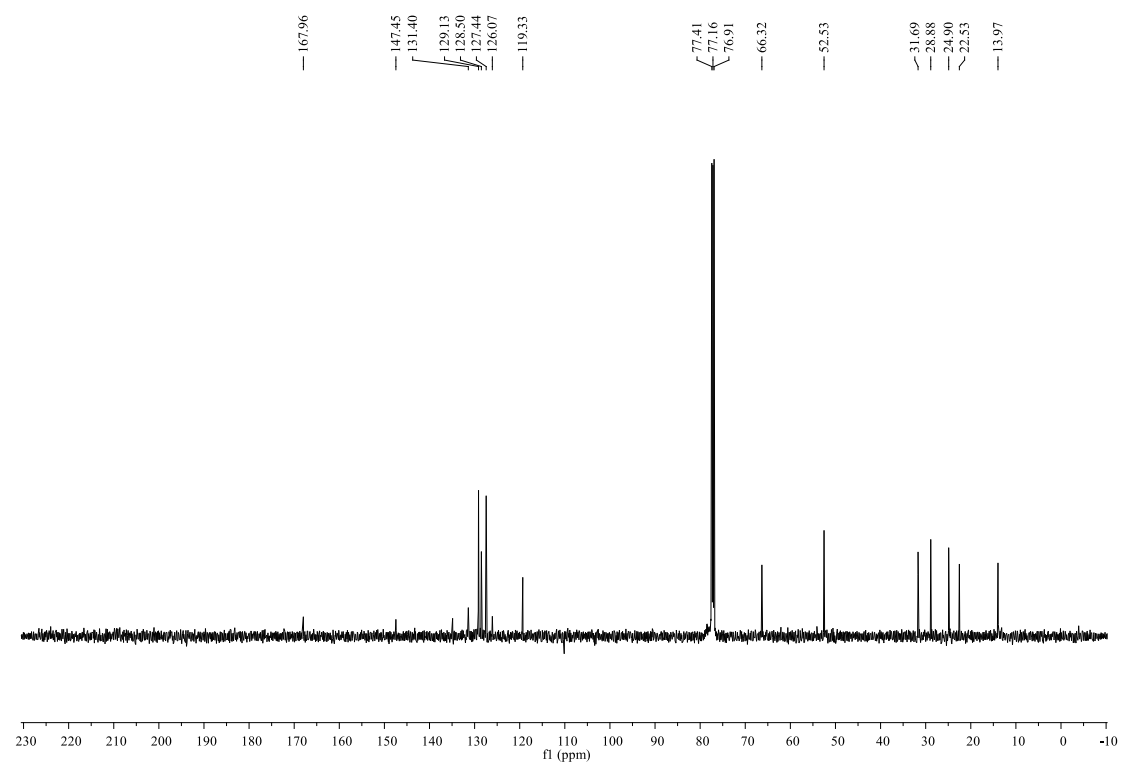
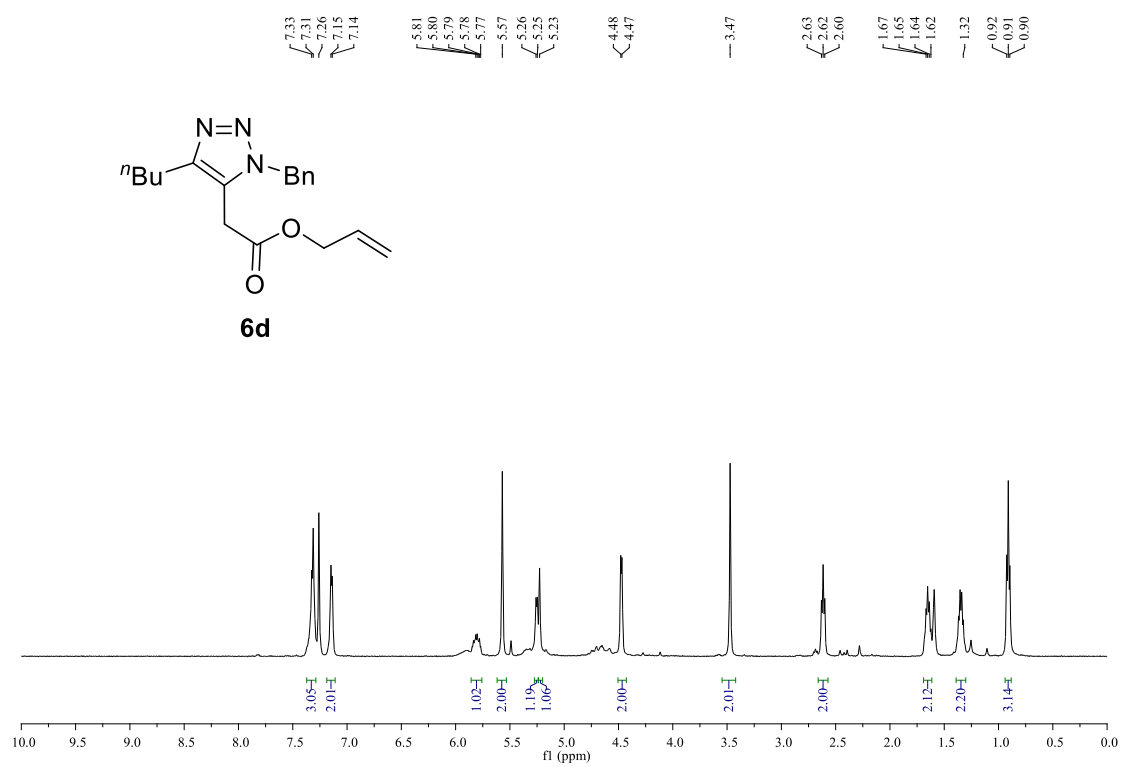


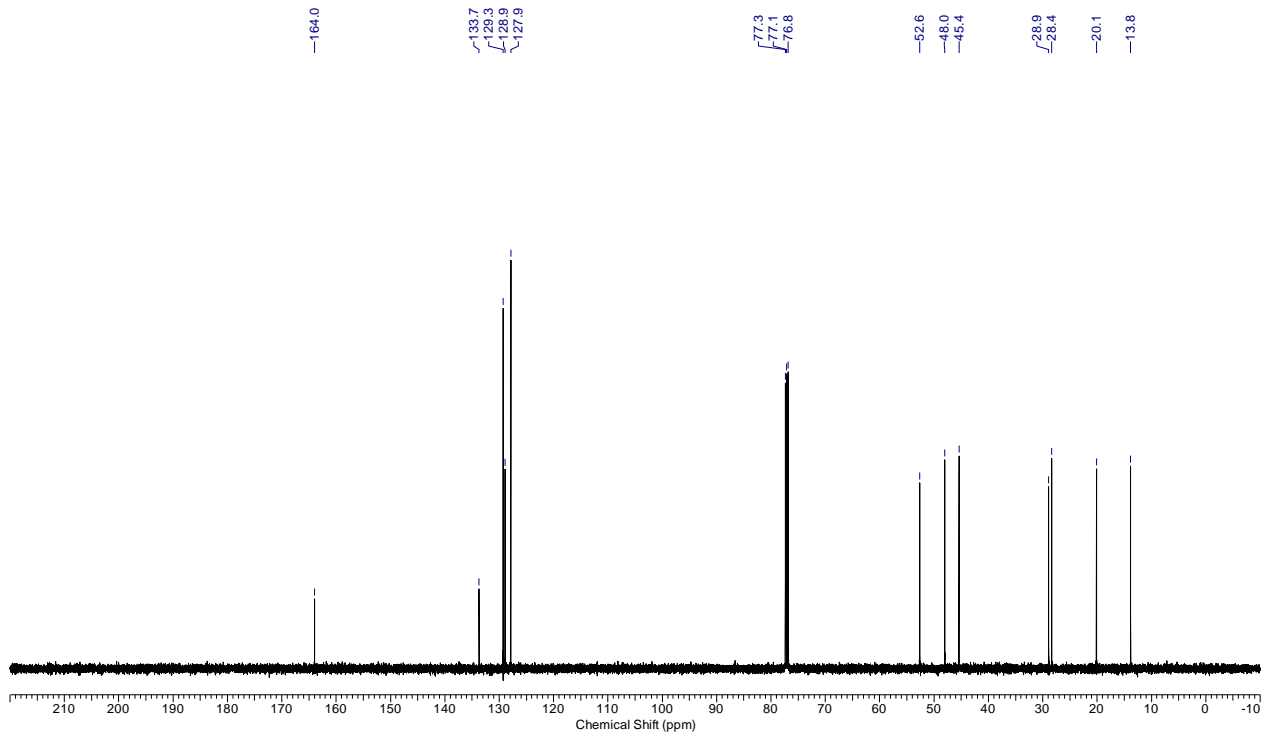
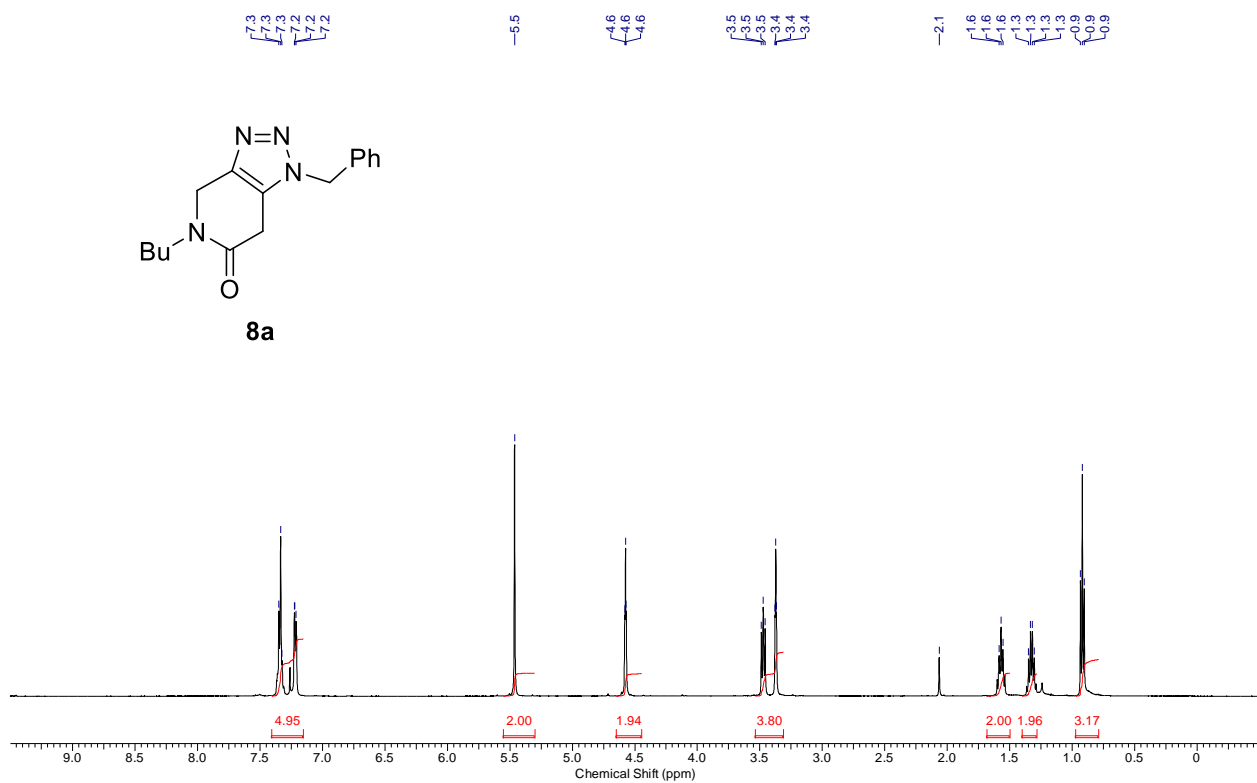
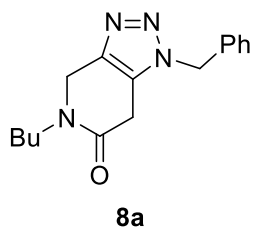


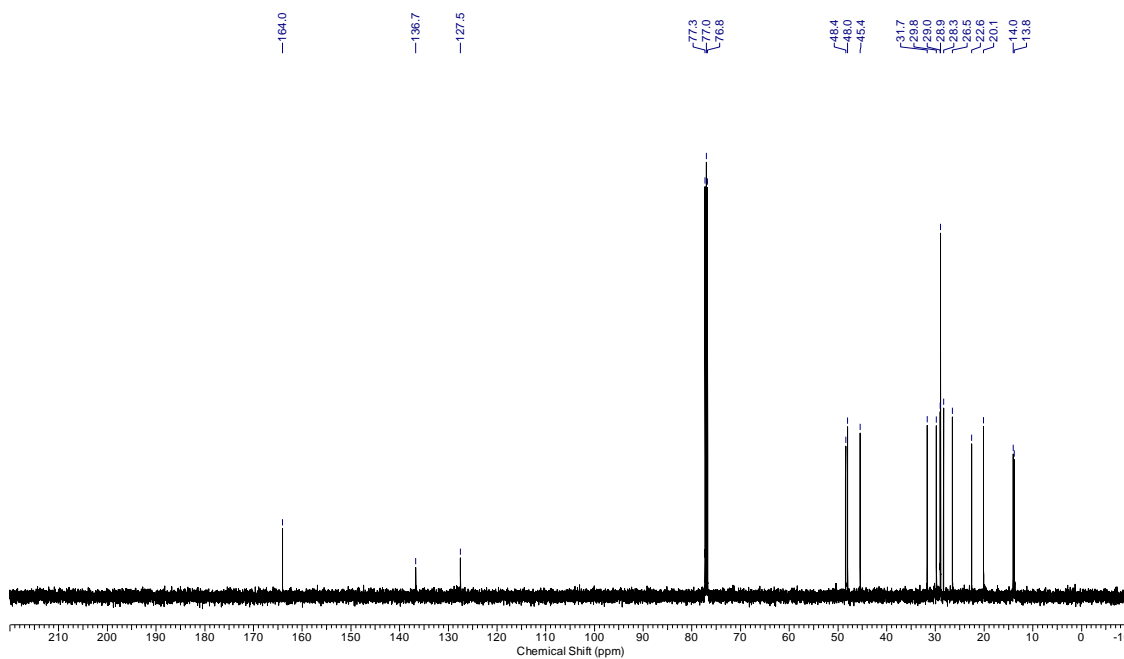
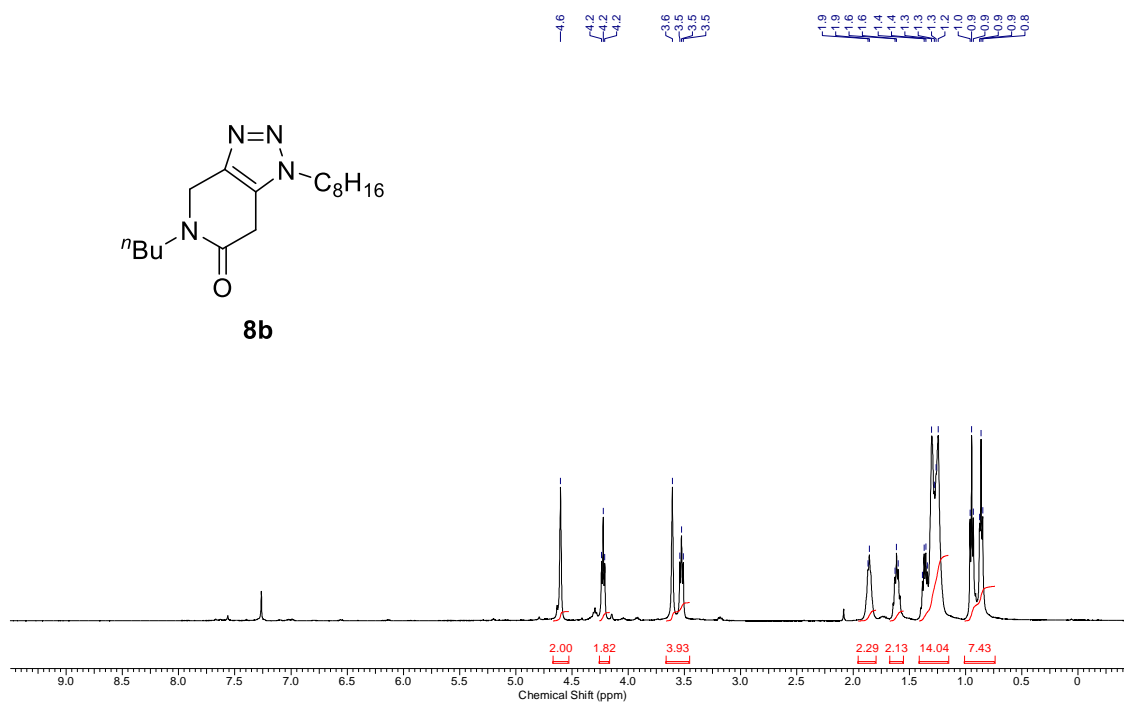
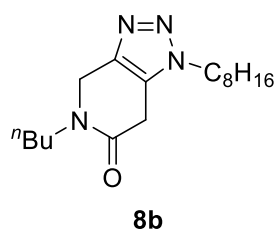


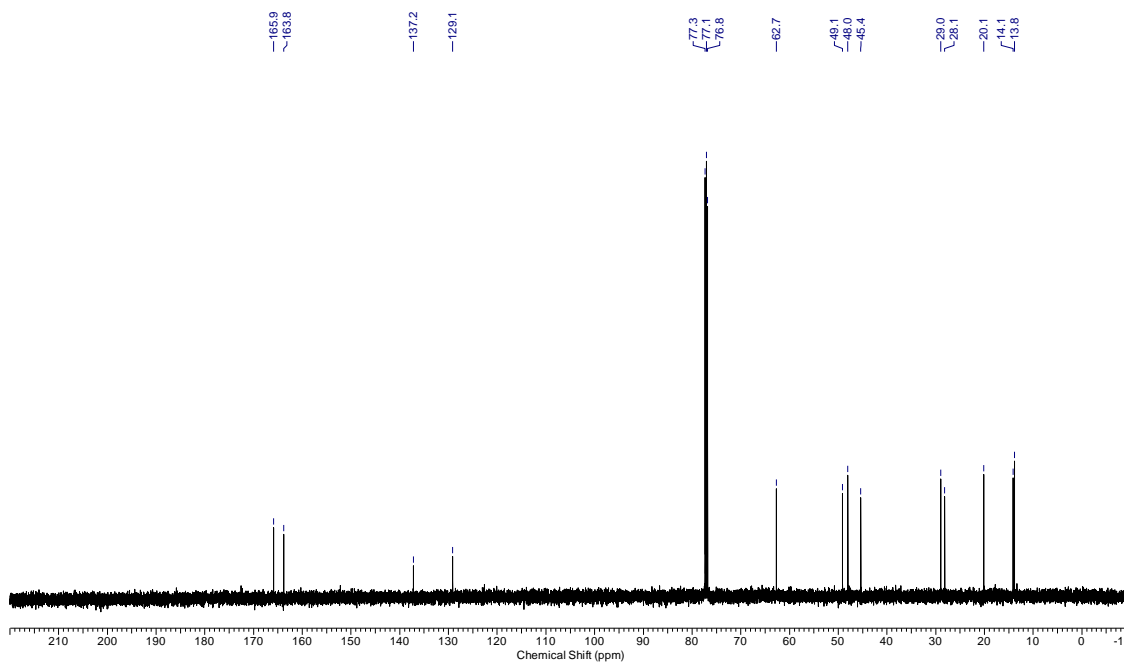
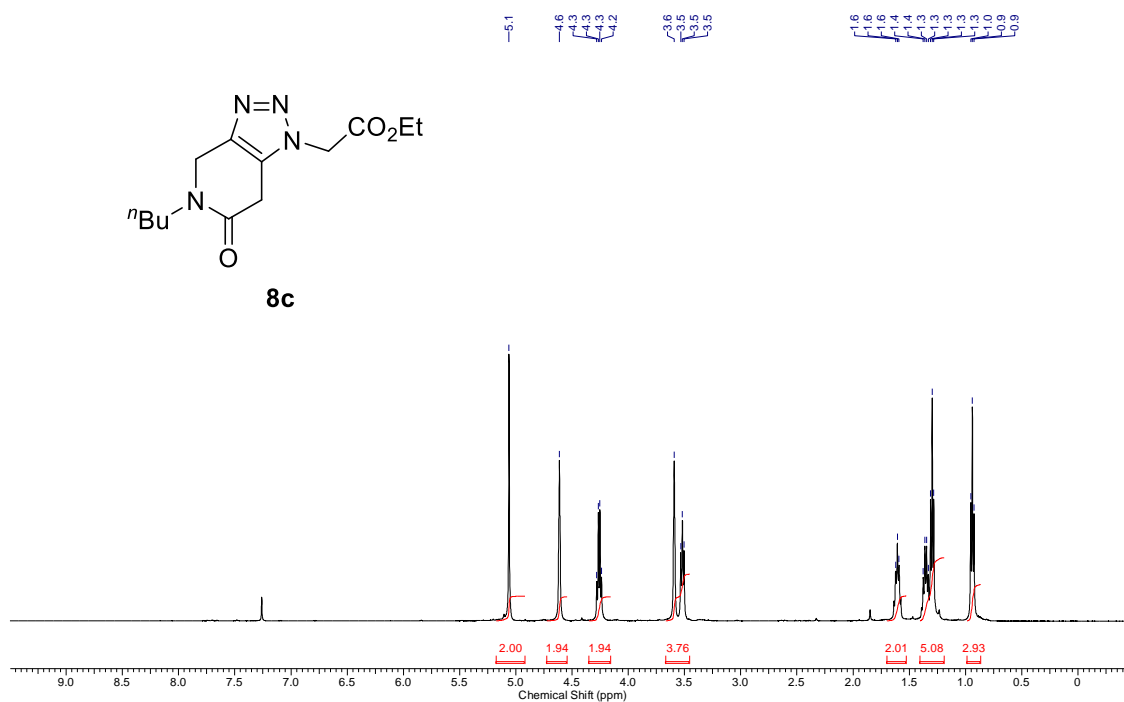
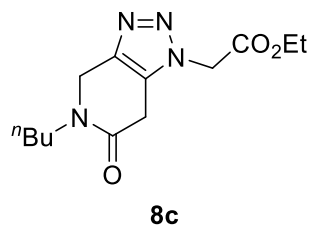


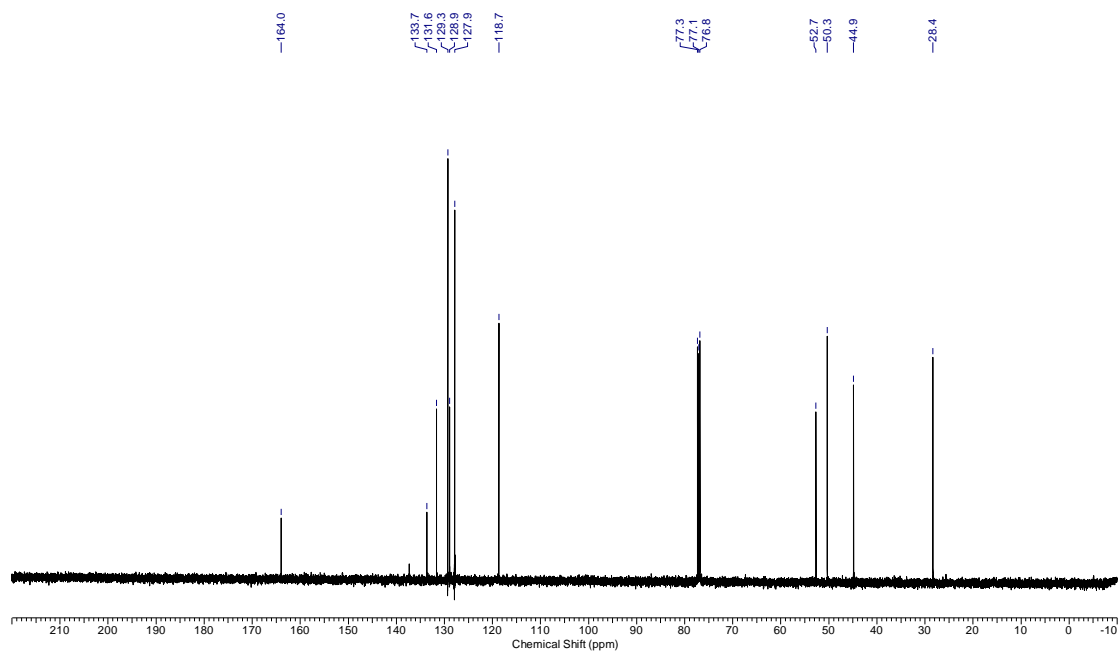
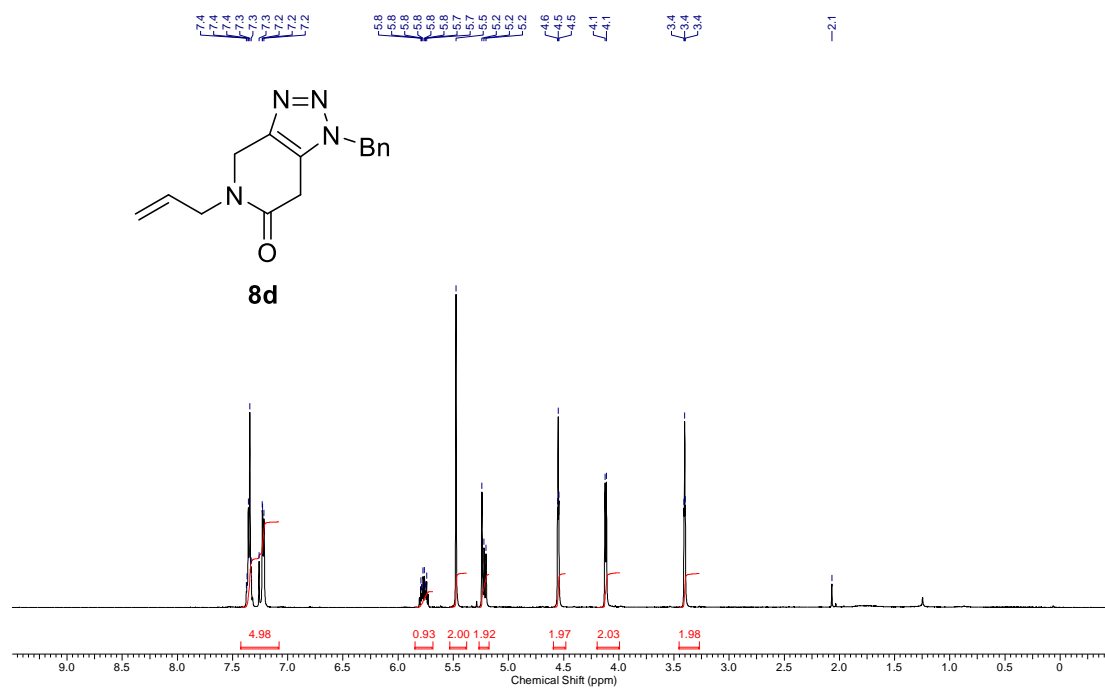


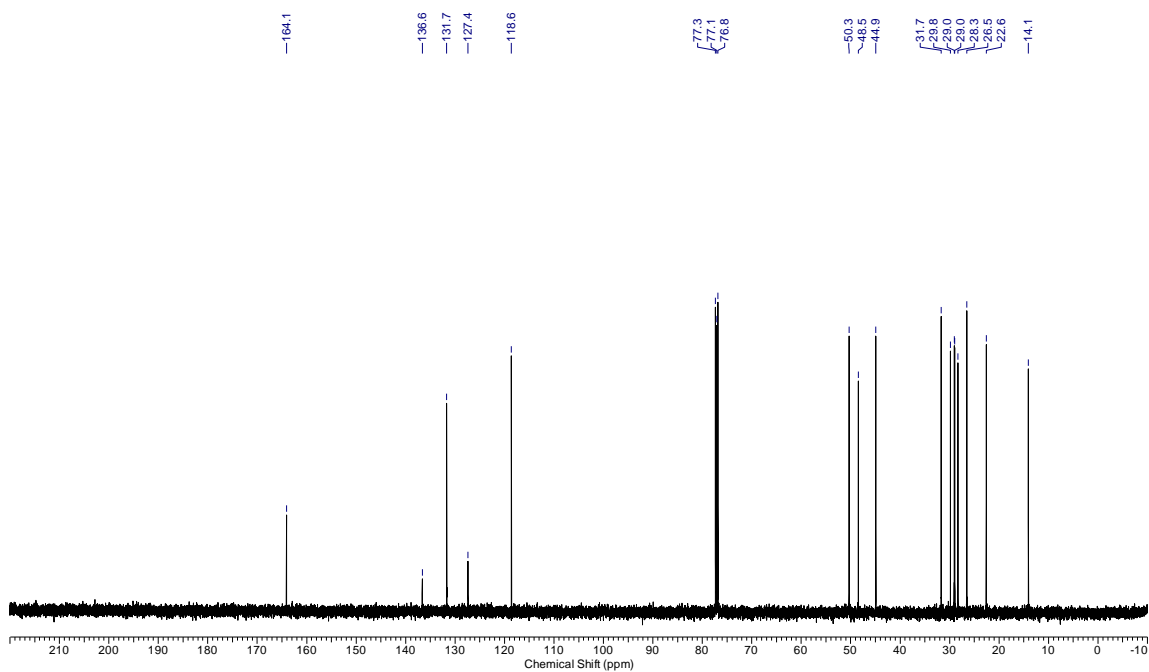
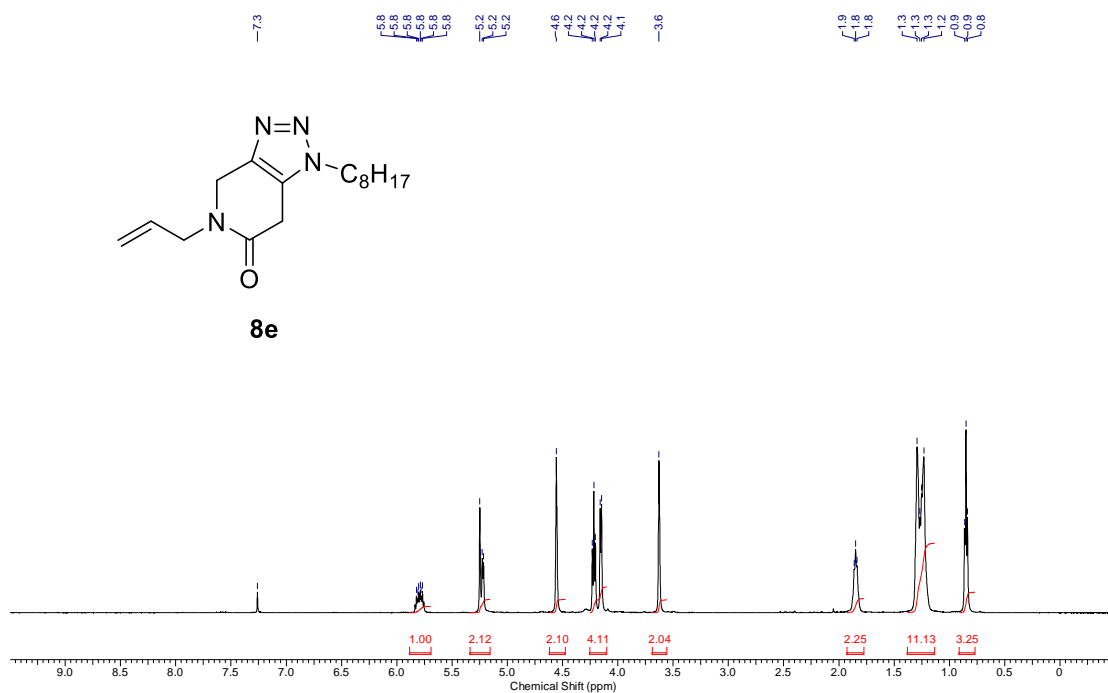
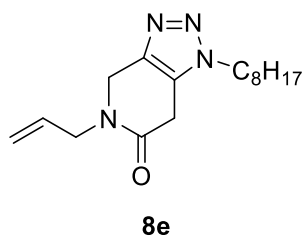


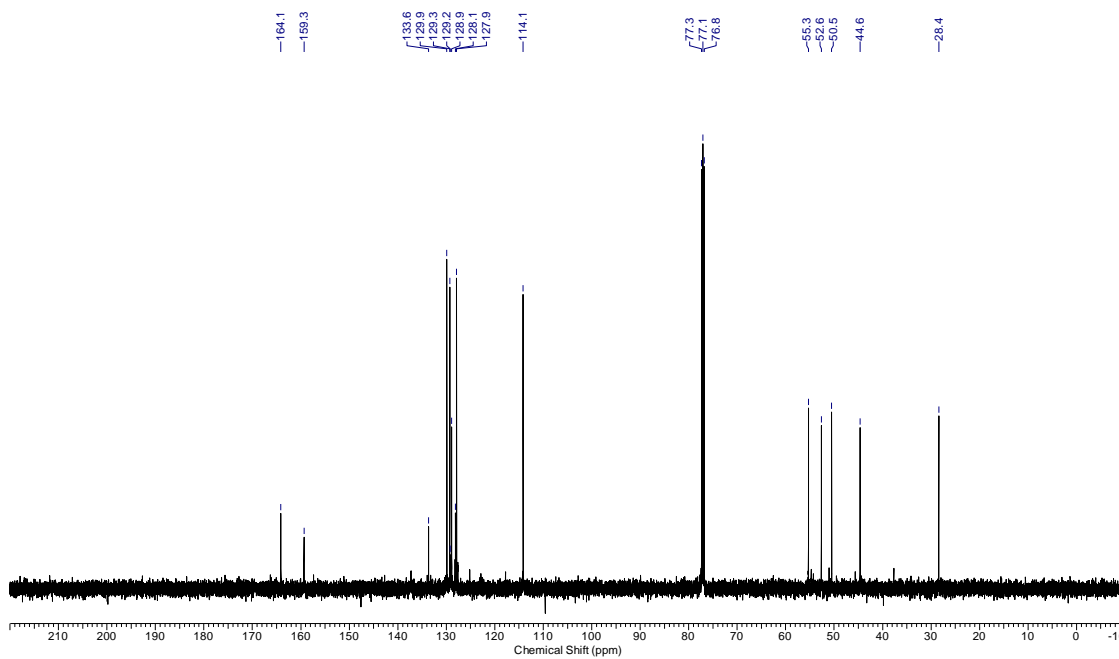
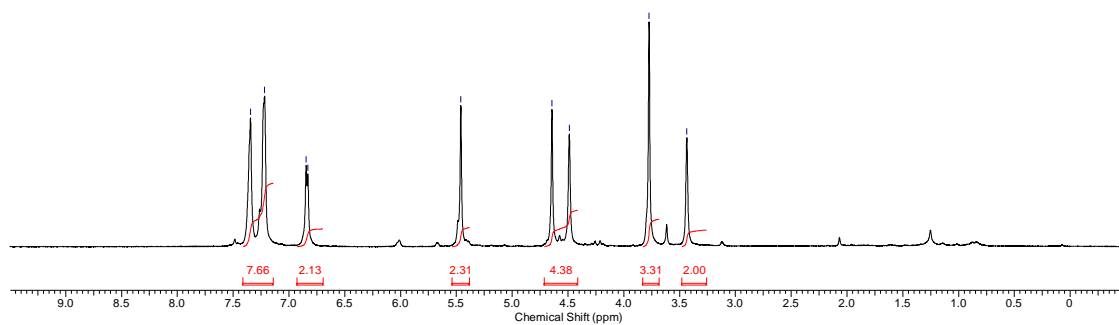
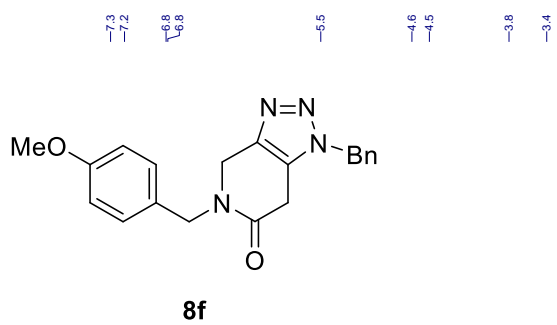


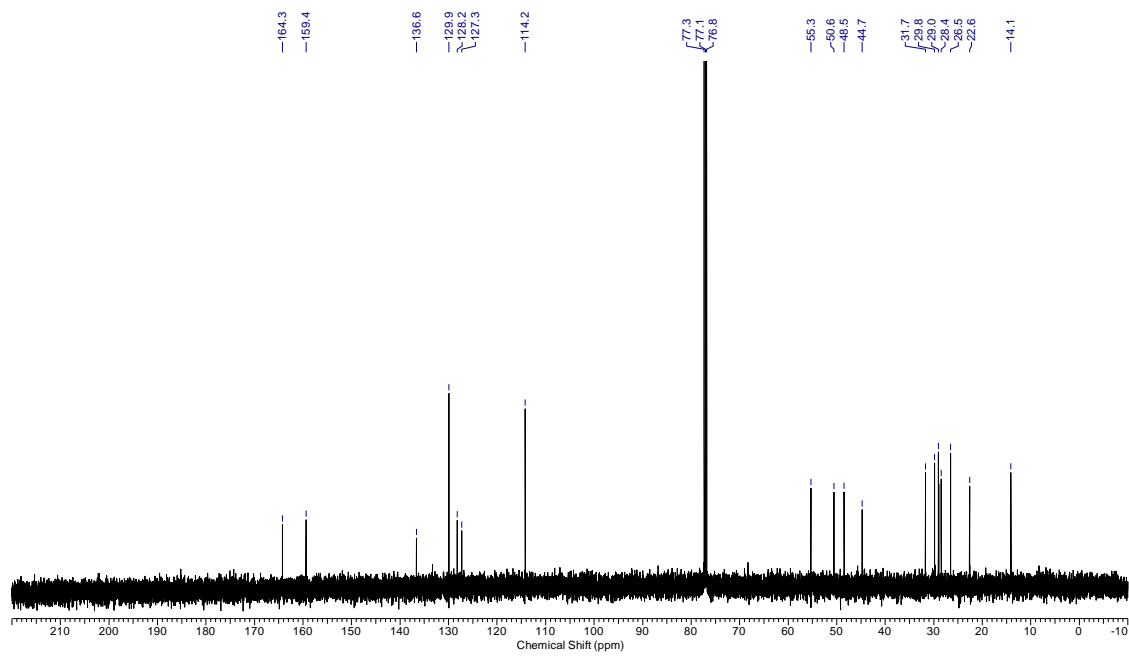
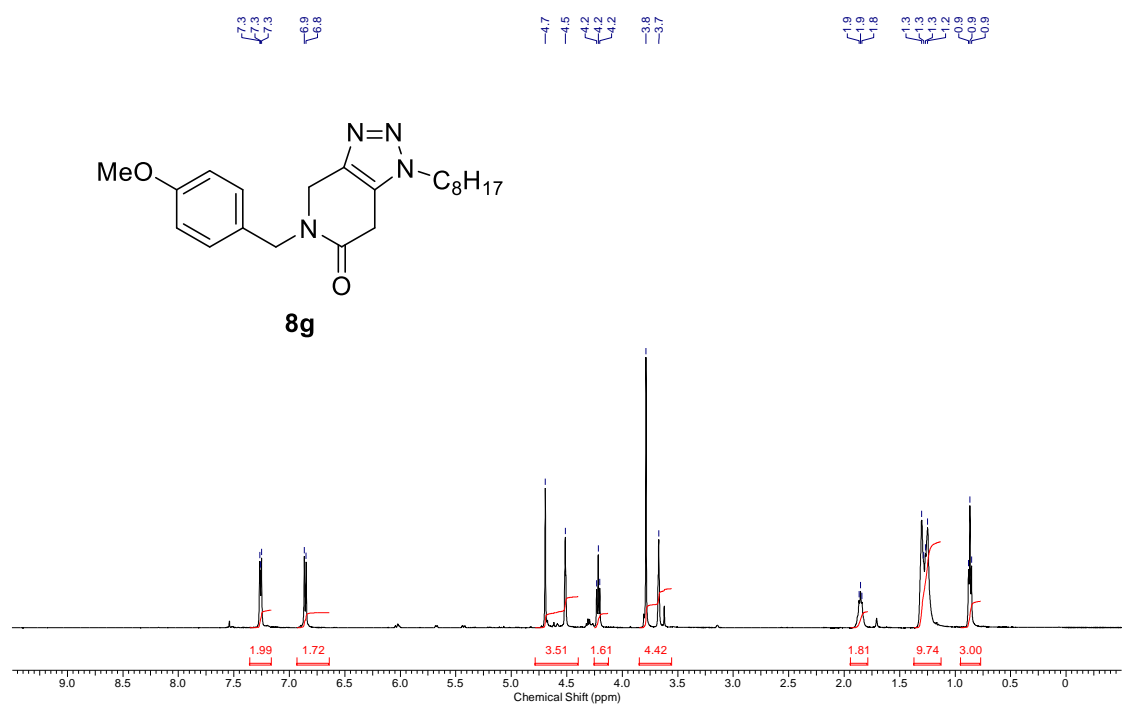


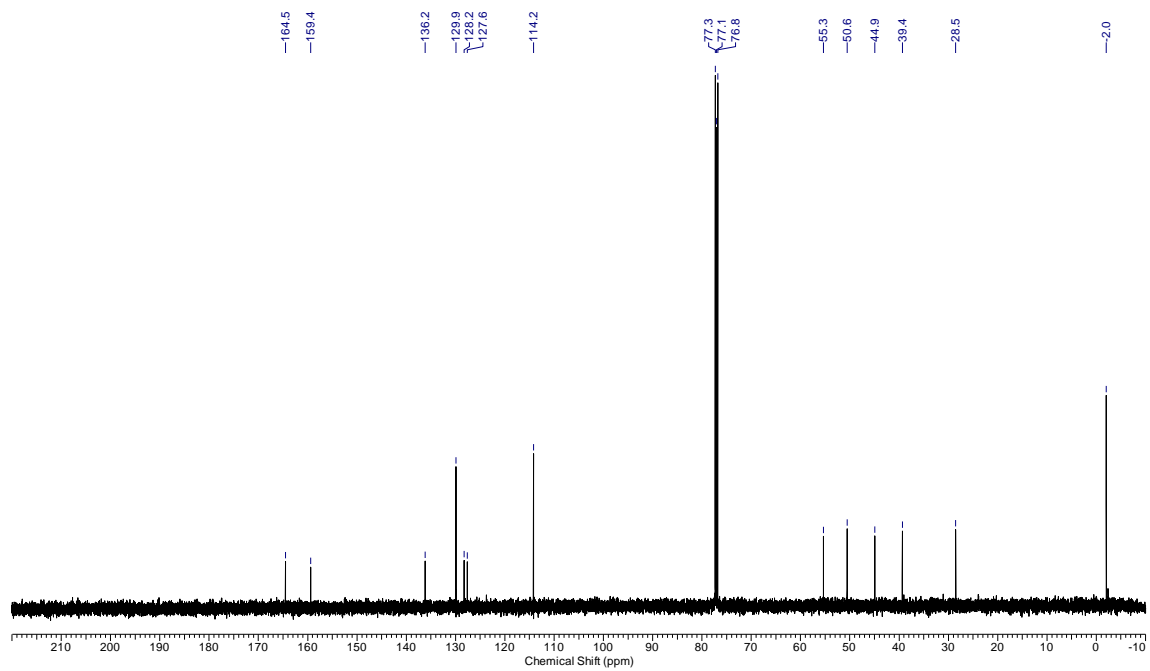
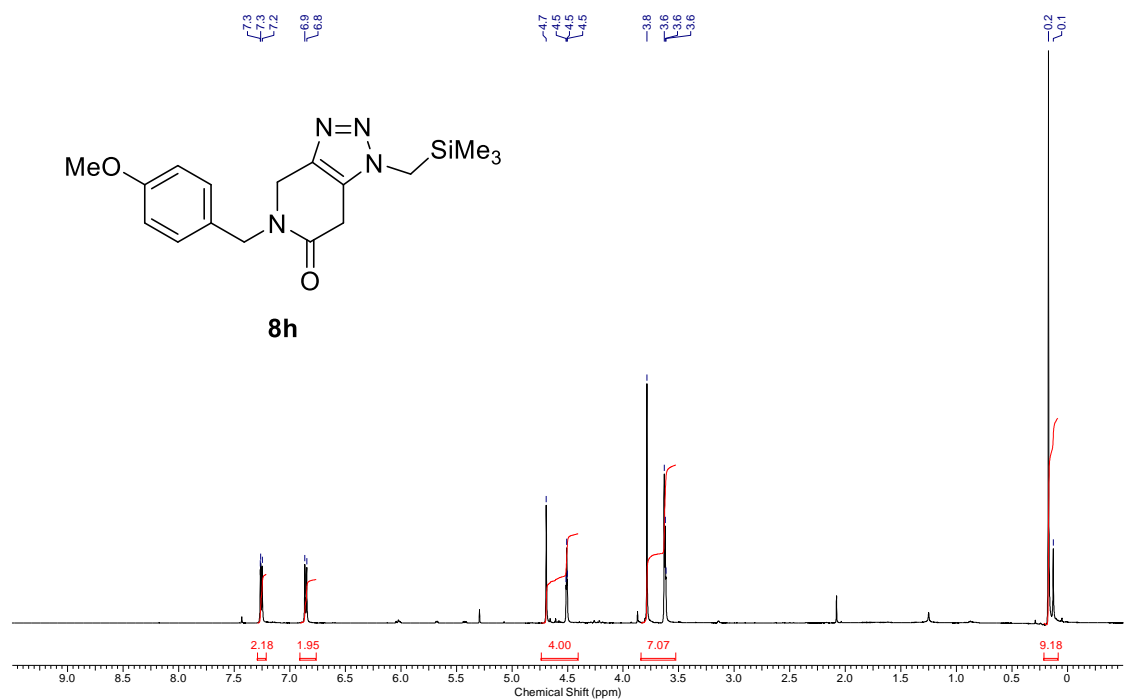


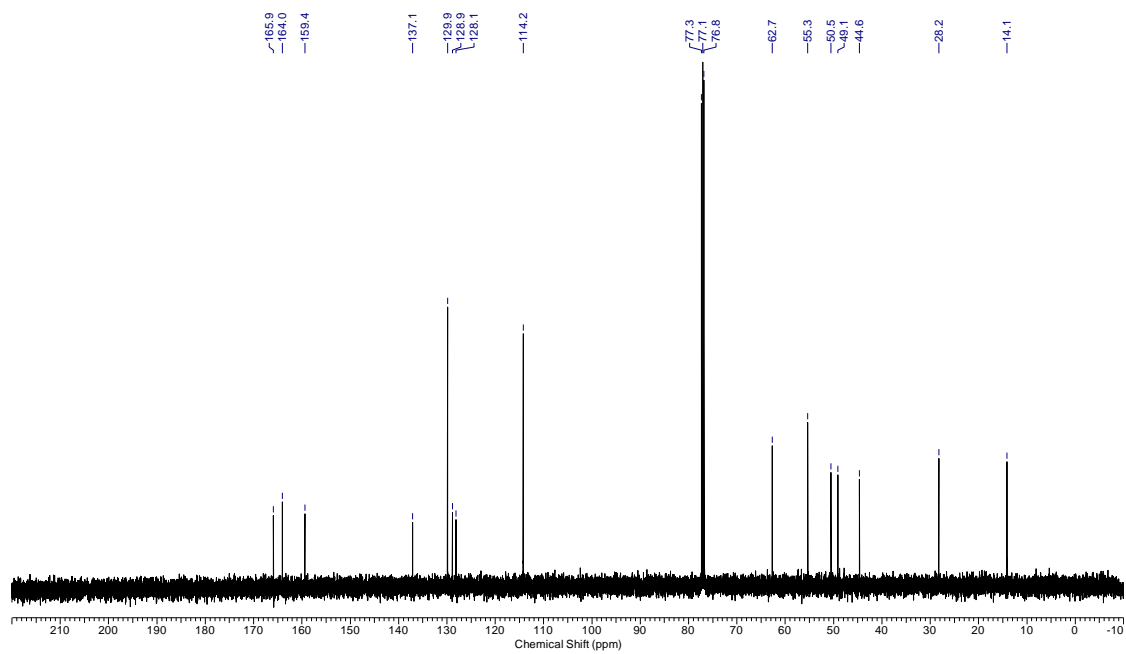
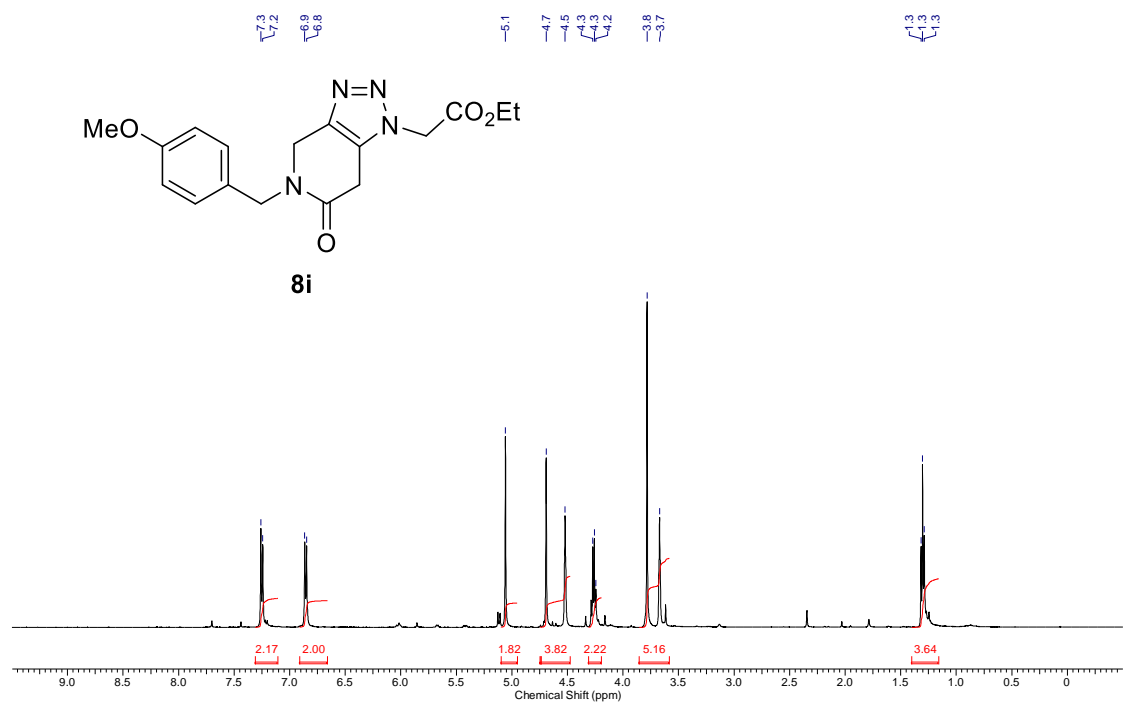


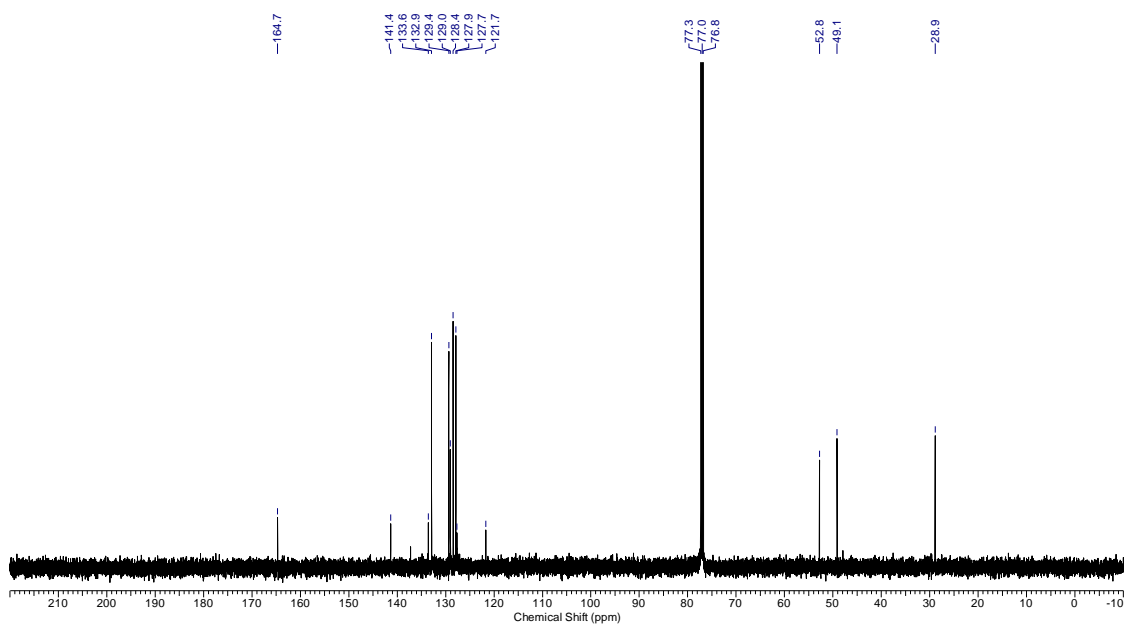
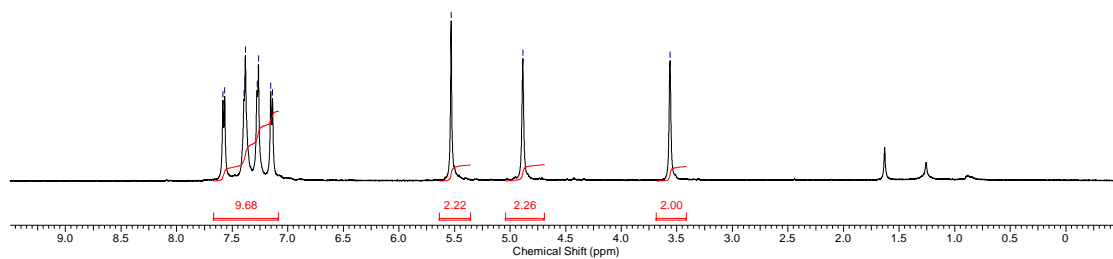
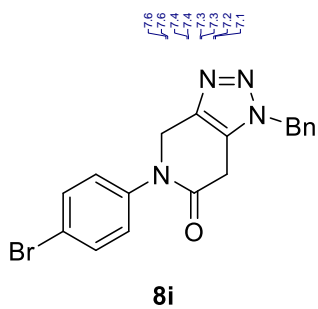


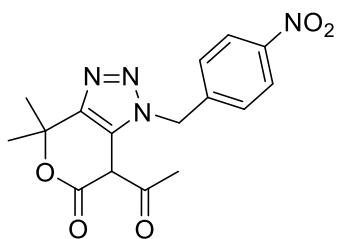




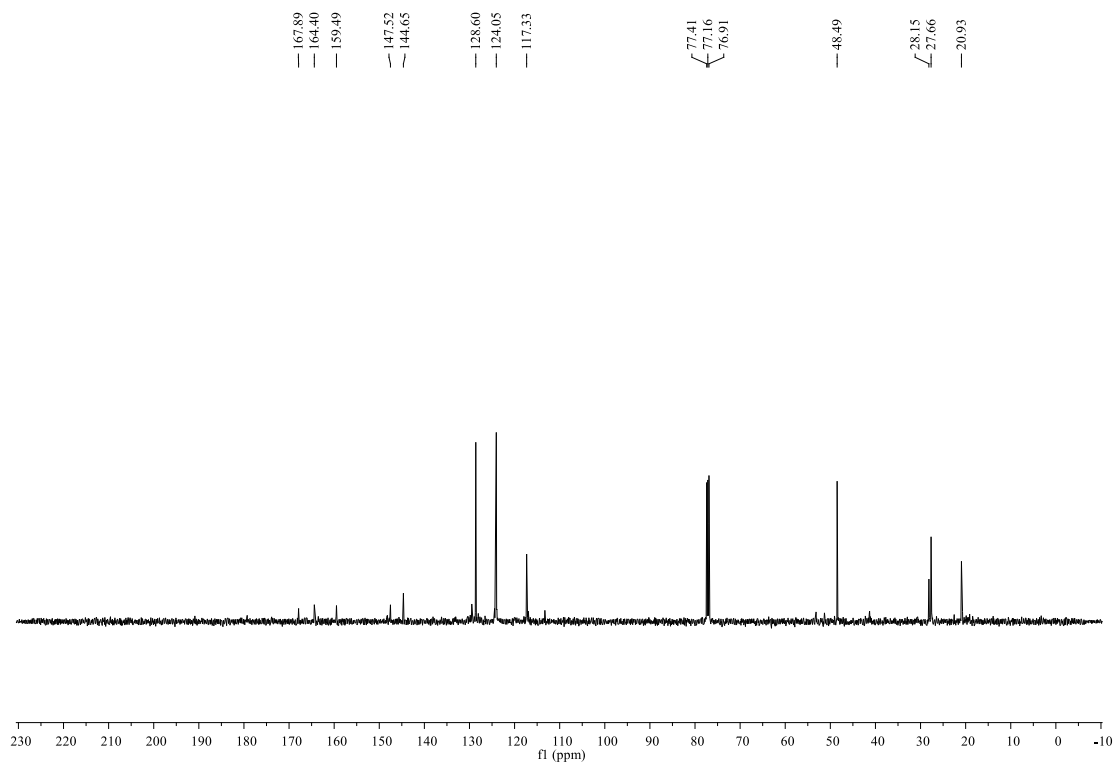
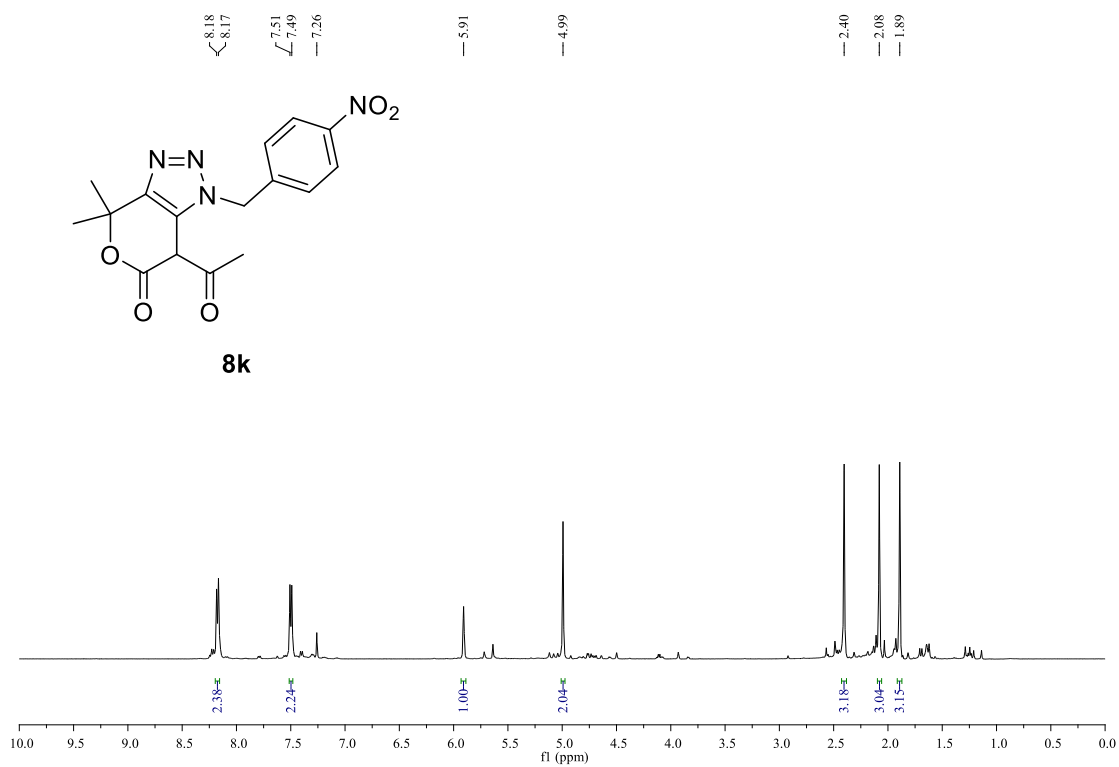


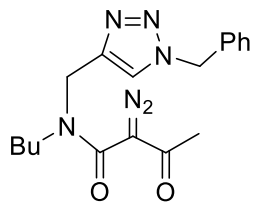






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