Supplementary Material (ESI) for Organic & Biomolecular Chemistry

A straightforward approach towards 5-substituted thiazolylpeptides via thio-Ugi-reaction

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Supplementary Information

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[2-(*N*-Benzoylamino)-3-methyl-thiobutyryl] glycine (3a). A 1 N NaOH solution (4 mL, 4 mmol) was added to a solution of ester **1a**[1] (1.00 g, 3.24 mmol) in dioxane (30 mL). After complete saponification of the ester, the solvent was evaporated in vacuo, providing **3a** as a pale yellow solid (945 g, 3.21 mmol, 99%), mp. 155–157; ^{1}H-NMR (400 MHz, CD_3OD): δ = 1.03–1.06 (m, 6H), 2.29 (dqq, *J* = 8.4, 6.8, 6.8 Hz, 1H), 4.27 (d, *J* = 17.6 Hz, 1H), 4.52 (d, *J* = 17.6 Hz, 1H), 6.85 (d, *J* = 8.4 Hz, 1H), 7.47 (m, 2H), 7.54 (m, 1H), 7.83 (m, 2H); ^{13}C-NMR (100 MHz, CD_3OD): δ = 19.2, 20.0, 34.8, 47.4, 66.1, 128.4, 129.7, 132.9, 135.6, 170.0, 171.2, 206.6.

[2-(*N*-Benzoylamino)-3,3-dimethyl-thiobutyryl] glycine (3b). According to **3a**, peptide **3b** was obtained from ester **1b**[1] (1.87 g, 5.80 mmol) in 99% yield (1.78 g, 5.77 mmol) as a pale yellow solid, mp. 184–188. ^{1}H-NMR (400 MHz, CD_3OD_3): δ = 1.12 (s, 9H), 4.26 (d, *J* = 17.4 Hz, 1H), 4.53 (d, *J* = 17.4 Hz, 1H), 4.88 (m, 1H), 7.49 (m, 2H), 7.56 (m, 1H), 7.82 (m, 2H); ^{13}C-NMR (100 MHz, CD_3OD): δ = 27.5, 37.2, 47.5, 66.4, 128.1, 129.9, 133.0, 135.7, 168.9, 171.1, 204.3.

[2-(*N*-Benzoyl-*N*-benzylamino)-3-methyl-thiobutyryl] glycine (3c). According to **3a**, peptide **3c** was obtained from ester **1c**[1] (3.72 g, 9.33 mmol) in 99% yield (3.58 g, 9.28 mmol) as a pale yellow solid, mp. 70–74. ^{1}H-NMR (400 MHz, CD_3OD): δ = 0.93 (d, *J* = 6.0 Hz, 3H), 0.99 (d, *J* = 6.4 Hz, 3H), 3.08 (m, 1H), 4.28 (bs, 1H), 4.39 (dd, *J* = 18.2, 5.0 Hz, 1H), 4.52–4.59 (m, 2H), 4.71 (m, 1H), 7.11 (bs, 2H), 7.21 (m, 3H), 7.34–7.38 (m, 5H), 10.23 (bs, 1H), 10.50 (bs, 1H); ^{13}C-NMR (100 MHz, CD_3OD): δ = 19.9, 20.3, 28.3, 47.0, 54.7, 67.0, 126.4, 127.8, 128.3, 128.4, 128.6, 130.1, 135.9, 136.0, 172.2, 175.0, 202.0.

[2-(*N*-Benzoyl-*N*-benzylamino)-3,3-dimethyl-thiobutyryl] glycine (3d). According to **3a**, peptide **3d** was obtained from ester **1d**[1] (4.67 g, 11.3 mmol) in 99% yield (4.47 g, 11.2 mmol) as a pale yellow solid, mp. 139-142 (dec.); ^{1}H-NMR (400 MHz, CD_3OD): δ = 1.27 (s, 9H), 4.33 (dd, *J* = 18.4, 4.6 Hz, 1H), 4.39 (d, *J* = 14.4 Hz, 1H), 4.54 (dd, *J* = 18.4, 5.4 Hz, 1H), 4.47–5.52 (m, 2H), 7.08 (bs, 2H), 7.21 (m, 3H), 7.38 (m, 5H), 10.48 (bs, 1H), 11.11 (bs, 1H); ^{13}C-NMR (100 MHz, CD_3OD): δ = 29.5, 37.0, 47.3, 67.0, 126.5, 128.0, 128.4, 128.6, 130.1, 136.4, 172.7, 175.6, 201.0.

2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl acetate (4d). According to the general procedure for the synthesis of 5-thiazolyl esters acetate **4d** was obtained from carboxylic acid **3d** (500 mg, 1.25 mmol) and acetyl chloride (200 mg, 2.50 mmol) in 92% yield (485 mg, 1.15 mmol) as a pale pink solid, mp. 115–117 °C. Major rotamer: ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.25 (s, 9H), 2.29 (s, 3H), 4.62 (d, *J* = 16.2 Hz, 1H), 5.40 (d, *J* = 16.2 Hz, 1H), 6.11 (bs, 1H), 6.35–7.56 (m, 11H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 20.4, 28., 38.8, 51.6, 60.8, 125.9, 126.2, 127.7, 128.1, 128.9, 129.1, 129.8, 128.9, 129.1, 147.2, 158.8, 166.4, 173.5; minor rotamer (selected signals): ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.04 (s, 9H), 2.30 (s, 3H), 5.03 (m, 2H), 5.17 (d, *J* = 14.0 Hz, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.0, 48.5, 67.5; HRMS (CI) calcd for $C_{24}H_{27}N_2O_3S$ $[M+H]^+$: 423.1742. Found; 423.1757; Anal. calcd for $C_{24}H_{26}N_2O_4S$ (422.55): C, 68.22; H, 6.20; N, 6.63. Found: C, 67.92; H, 6.36; N, 6.65.

2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl benzoate (5d). According to the general procedure for the synthesis of 5-thiazolyl esters benzoate **5d** was obtained from carboxylic acid **3d** (500 mg, 1.25 mmol) and benzoyl chloride (351 mg, 2.50 mmol) in 93% yield (562 mg, 1.16 mmol) as a pale pink solid, mp. 138–140 °C. Major rotamer: ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.30 (s, 9H), 4.66 (d, *J* = 16.4 Hz, 1H), 5.45 (d, *J* = 16.6 Hz, 1H), 6.18 (bs, 1H), 6.41–8.19 (m, 16H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 28.2, 39.0, 51.7, 60.9, 126.0, 126.4, 126.9, 128.0, 128.6, 128.9, 129.2,

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129.5, 130.0, 130.4, 134.4, 137.5, 139.1, 147.6, 159.1, 162.5, 173.6; minor rotamer (selected signals): ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.08 (s, 9H), 5.06–5.09 (m, 2H), 5.24 (d, J = 14.0 Hz, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 39.2, 48.7, 67.7, 147.2; HRMS (CI) calcd for $C_{29}H_{29}N_2O_3S$ $[M+H]^+$: 485.1899. Found; 485.1854; Anal. calcd for $C_{29}H_{28}N_2O_4S$ (484.62): C, 71.87; H, 5.82; N, 5.78. Found: C, 71.66; H, 5.86; N, 5.96.

2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-thiazolyl ethyl carbonate (6b). According to the general procedure for the synthesis of 5-thiazolyl esters carbonate **6b** was obtained from carboxylic acid **3b** (300 mg, 0,97 mmol) and ethyl chloroformate (294 mg, 2.91 mmol) in 91% yield (320 mg, 0.88 mmol) as a pale orange solid, mp. 80–84 °C. ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.03 (s, 9H), 1.32 (m, 3H), 4.29 (m, 2H), 5.21 (d, J = 9.2 Hz, 1H), 7.14 (d, J = 9.2 Hz, 1H), 7.37 (m, 2H), 7.42 (m, 2H), 7.77 (d, $^{3}J_{3,2}$ = 7.6 Hz, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 14.0, 26.7, 36.2, 58.8, 66.1, 125.5, 127.0, 128.6, 131.6, 134.3, 148.3, 151.9, 161.2, 166.8; HRMS (CI) calcd for $C_{18}H_{23}N_2O_4S$ $[M+H]^+$: 363.1379. Found; 363.1345.

2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-thiazolyl isobutyl carbonate (7b). According to the general procedure for the synthesis of 5-thiazolyl esters carbonate **7b** was obtained from carboxylic acid **3b** (300 mg, 0.97 mmol) and isobutyl chloroformate (270 mg, 1.94 mmol) in 95% yield (360 mg, 0.92 mmol) as a pale orange solid, mp. 82–85 °C. ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.90 (d, J = 6.8 Hz, 6H), 1.01 (s, 9H), 1.95 (tsept, J = 6.8, 6.8 Hz, 1H), 3.99 (d, J = 6.8 Hz, 2H), 5.20 (d, J = 9.2 Hz, 1H), 7.19 (d, J = 9.2 Hz, 1H), 7.33 (m, 2H), 7.38–7.42 (m, 2H), 7.75 (m, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 18.7, 26.7, 27.7, 36.2, 58.9, 76.0, 127.0, 128.5, 128.6, 131.6, 134.3, 148.4, 152.0, 161.2, 166.8; HRMS (CI) calcd for $C_{20}H_{27}N_2O_4S$ $[M+H]^+$: 391.1692. Found; 391.1717. (tsept= triplett of septet t)

2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-thiazolyl isobutyl carbonate (7c). According to the general procedure for the synthesis of 5-thiazolyl esters carbonate **7c** was obtained from carboxylic acid **3c** (300 mg, 0.78 mmol) and isobutyl chloroformate (217 mg, 1.56 mmol) in 92% yield (334 mg, 0.72 mmol) as a pale pink solid, mp. 70–75 °C. Major rotamer: ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.92 (bs, 3H), 1.00 (d, J = 6.8 Hz, 6H), 1.13 (bs, 3H), 2.06 (dsept, J = 6.8, 6.4 Hz, 1H), 2.97 (bs, 1H), 4.09 (d, J = 6.4 Hz, 2H), 4.67 (m, 1H), 4.97 (d, J = 14.4 Hz, 1H), 5.07 (d, J = 8.8 Hz, 1H), 6.75-7.57 (m, 11H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 18.7, 19.7, 20.3, 27., 29.8, 45.3, 64.8, 75.9, 126.5, 127.0, 127.3, 127.5, 128.1, 128.7, 129.6, 136.7, 138.2, 149.0, 152.0, 160.2, 172.6; minor rotamer (selected signals): ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.75 (bs, 3H), 0.92 (bs, 3H), 2.71 (bs, 1H), 4.53 (d, J = 15.6 Hz, 1H), 4.65-4.69 (m, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 30.3, 51.7, 66.6, 150.1, 161.1; HRMS (CI) calcd for $C_{27}H_{33}N_2O_4S$ $[M+H]^+$: 481.2161. Found; 481.2201; Anal. calcd for $C_{27}H_{32}N_2O_4S$ (480.63): C, 67.47; H, 6.71; N, 5.83. Found: C, 67.07; H, 6.89; N, 5.81.

2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl isobutyl carbonate (7d). According to the general procedure for the synthesis of 5-thiazolyl esters carbonate **7d** was obtained from carboxylic acid **3d** (500 mg, 1.25 mmol) and isobutyl chloroformate (348 mg, 2.50 mmol) in 94% yield (530 mg, 1.17 mmol) as a pale yellow solid, mp. 111–113 °C. Major rotamer: ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.00 (d, J = 6.8 Hz, 6H), 1.27 (s, 9H), 2.06 (m, 1H), 4.09 (d, J = 6.4 Hz, 2H), 4.62 (d, J = 16.4 Hz, 1H), 5.38 (d, J = 16.4 Hz, 1H), 6.09 (bs, 1H), 6.37–7.56 (m, 11H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 18.7, 27.6, 28.1, 51.6, 61.0, 75.9, 125.9, 126.1, 126.3, 126.8, 127.9, 128.5, 129.9, 137.3, 138.8, 148.9, 152.0, 158.9, 173.5; minor rotamer (selected signals): ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.05 (s, 9H), 5.01–5.05 (m, 2H), 5.15 (d, J = 14.0 Hz, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 48.5, 67.6, 148.6; HRMS (CI) calcd for $C_{27}H_{33}N_2O_4S$ $[M+H]^+$: 481.2161. Found; 481.2201; Anal. calcd for $C_{27}H_{32}N_2O_4S$ (480.63): C, 67.47; H, 6.71; N, 5.83. Found: C, 67.07; H, 6.89; N, 5.81.

2-[1-(*N*-Benzoylamino)-2-methylpropyl]-5-thiazolyl diethyl phosphate (8b). According to the general procedure for the synthesis of 5-thiazolyl esters phosphate **8b** was obtained from carboxylic acid **3b** (100 mg, 0.32 mmol), additional DMAP (7.9 mg, 0.65 mmol) and diethyl chlorophosphate (144 mg, 0.84 mmol) in 69% yield (79 mg, 0.19 mmol) as a pale orange, viscous oil. ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.07 (s, 9H), 1.36 (t, *J* = 7.0 Hz, 6H), 4.23 (m, 4H), 5.20 (d, *J* = 9.2 Hz, 1H), 7.09 (d, *J* = 9.2 Hz, 1H), 7.38 (s, 1H), 7.43 (m, 2H), 7.51 (m, 1H), 7.80 (m, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 16.0, 16.1, 26.7, 36.2, 59.0, 65.5, 65.5, 127.0, 128.6, 128.7, 131.7, 134.3, 149.0, 160.3, 166.8; HRMS (CI) calcd for $C_{19}H_{28}N_2O_5PS$ $[M+H]^+$: 427.1457. Found; 427.1430.

2-[1-(*N*-Benzoylamino)-2-methylpropyl]-5-phenyl thiazole (10a). According to the general procedure for microwave assisted Suzuki couplings thiazole **10a** was obtained from triflate **9a** (50 mg, 0.122 mmol), phenylboronic acid (17.0 mg, 0.135 mmol), $Pd(OAc)_2$ (2.9 mg, 0.006 mmol), PPh_3 (6.5 mg, 0.025 mmol) and K_2CO_3 (25.4 mg, 0.184 mmol) in 73 % yield (30.0 mg, 0.086 mmol) as a pale yellow solid, mp. 104–106 °C. ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.04 (d, *J* = 6.4 Hz, 3H), 1.07 (d, *J* = 6.8 Hz, 3H), 2.46 (m, 1H), 5.43 (dd, *J* = 8.6, 6.0 Hz, 1H), 7.09 (d, *J* = 8.6 Hz, 1H), 7.32 (m, 1H), 7.39 (m, 2H), 7.45 (m, 2H), 7.50–7.54 (m, 3H), 7.86 (m, 2H), 7.88 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 18.2, 19.2, 33.9, 56.5, 126.7, 127.1, 128.3, 128.6, 129.1, 131.1, 131.7, 134.2, 137.7, 139.2, 166.9, 168.9; HRMS (CI) calcd for $C_{20}H_{20}N_2OS$ $[M+H]^+$: 337.1375. Found; 337.1331.

2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-phenyl thiazole (10b). According to the general procedure for microwave assisted Suzuki couplings thiazole **10b** was obtained from triflate **9b** (50 mg, 0.118 mmol), phenylboronic acid (16.0 mg, 0.131 mmol), $Pd(OAc)_2$ (2.8 mg, 0.006 mmol), PPh_3 (6.5 mg, 0.024 mmol) and K_2CO_3 (24.5 mg, 0.177 mmol) in 73 % yield (30.0 mg, 0.086 mmol) as a pale yellow, viscous oil. ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.13 (s, 9H), 5.40 (d, *J* = 9.2 Hz, 1H), 7.18 (d, *J* = 9.2 Hz, 1H), 7.32 (m, 1H), 7.39 (m, 2H), 7.45 (m, 2H), 7.50–7.54 (m, 3H), 7.84 (m, 2H), 7.89 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 26.7, 36.2, 58.8, 126.7, 127.1, 128.3, 128.6, 129.1, 131.1, 131.6, 134.4, 137.6, 139.0, 166.8, 167.2; HRMS (CI) calcd for $C_{21}H_{22}N_2OS$ $[M+H]^+$: 351.1531. Found; 351.1525.

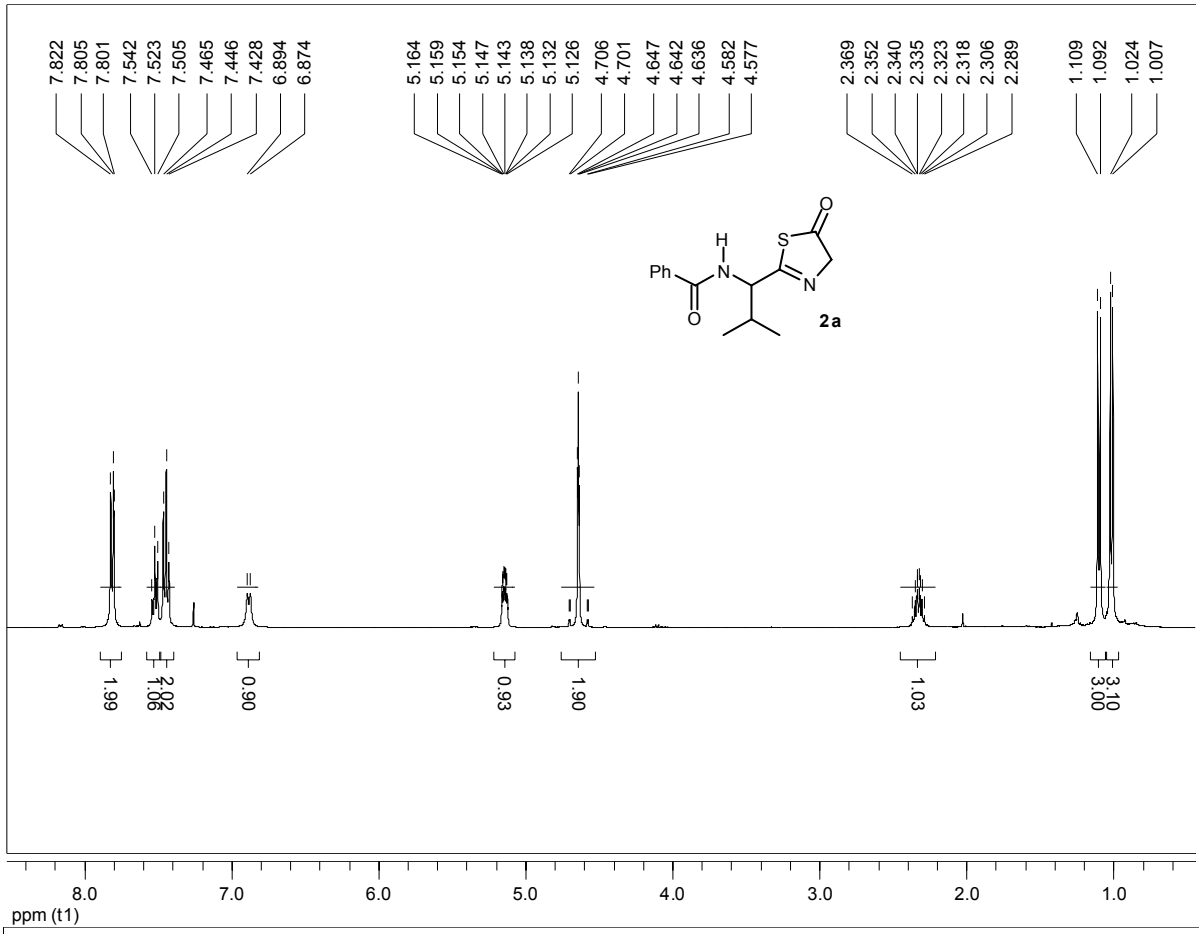
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-phenyl thiazole (10c). According to the general procedure for microwave assisted Suzuki couplings thiazole **10c** was obtained from triflate **9c** (100 mg, 0.20 mmol), phenylboronic acid (27.2 mg, 0.22 mmol), $Pd(OAc)_2$ (4.7 mg, 0.01 mmol), PPh_3 (10.6 mg, 0.031 mmol) and K_2CO_3 (41.5 mg, 0.30 mmol) in 76 % yield (65.0 mg, 0.152 mmol) as a pale yellow, viscous oil. Major rotamer: ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.98 (bs, 3H), 1.18 (bs, 3H), 3.03 (bs, 1H), 4.72 (m, 1H), 5.04 (d, *J* = 14.8 Hz, 1H), 5.32 (d, *J* = 9.2 Hz, 1H), 6.75–7.82 (m, 16H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 19.8, 20.4, 30.1, 45.3, 63.7, 126.5, 126.8, 127.3, 127.6, 128.0, 128.3, 128.4, 128.7, 129.0, 129.4, 132.3, 137.0, 137.8, 140.2, 167.0, 172.8; minor rotamer (selected signals): ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.80 (bs, 3H), 0.98 (bs, 3H), 2.77 (bs, 1H), 4.59 (d, *J* = 16.0 Hz, 1H), 4.72 (m, 1H), 4.83 (d, *J* = 10.0 Hz, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 30.7, 51.4, 66.4, 166.2; HRMS (CI) calcd for $C_{27}H_{27}N_2OS$ $[M+H]^+$: 427.1844. Found; 427.1846.

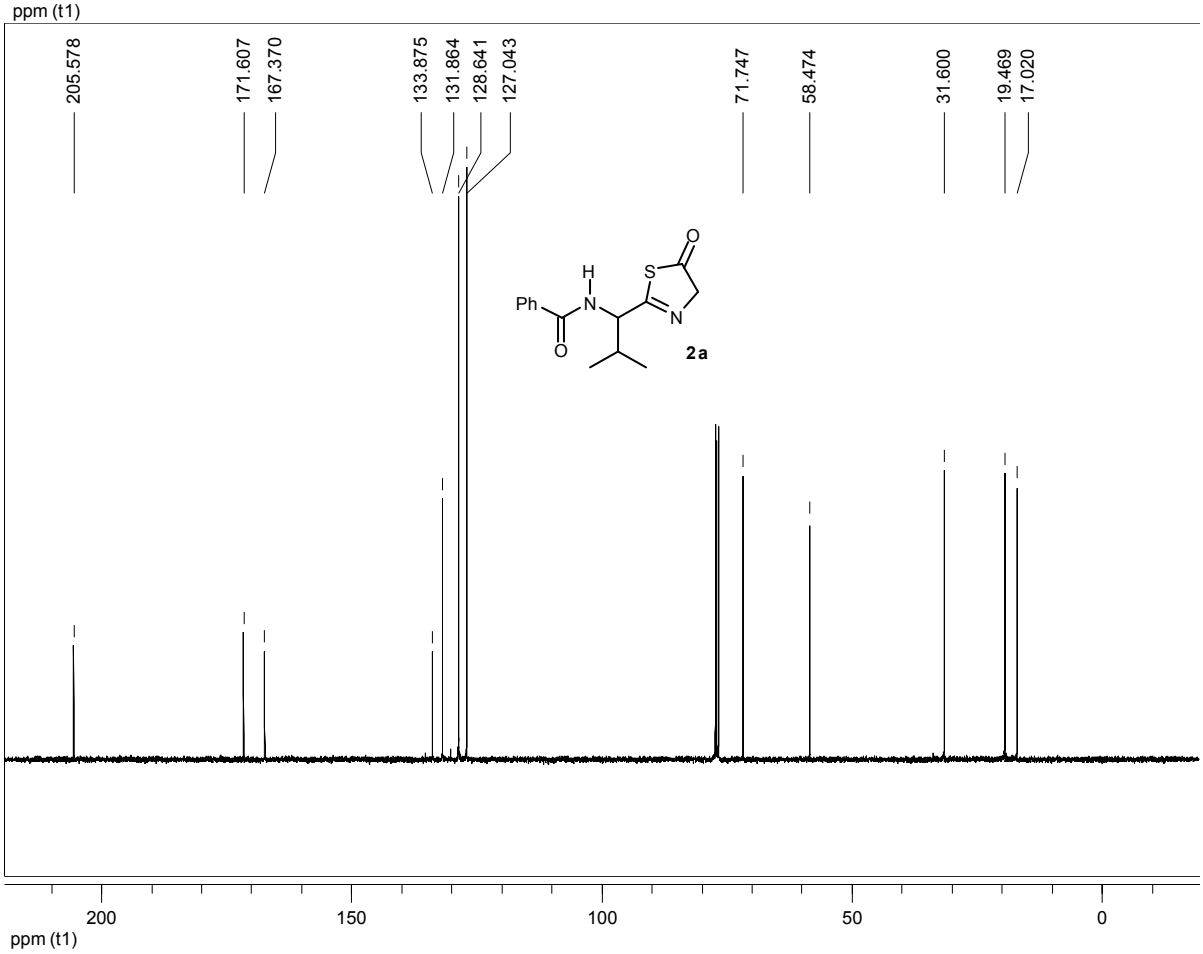
2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-phenylethinyl thiazole (12b). According to **12c** thiazole **12b** was obtained from Triflat **9b** (50 mg, 0.188 mmol), CuI (2.2 mg, 0.012 mmol), $Pd(OAc)_2$ (2.8 mg, 0.006 mmol), PPh_3 (6.3 mg, 0.024 mmol), 2,6-lutidin (64.5 mg, 0.59 mmol) and phenylacetylene (16.1 mg, 0.153 mmol) in 95% yield (42.0 mg, 0.112 mmol) as pale yellow solid, mp. 116–120 °C. ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 1.10 (s, 9H), 5.36 (d, *J* = 9.2 Hz, 1H), 7.13 (d, *J* = 9.2 Hz, 1H), 7.35–7.36 (m, 3H), 7.45 (m, 2H), 7.49–7.53 (m, 3H), 7.83 (m, 2H), 7.86 (s, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 26.7, 36.2, 58.7, 78.6, 96.2, 118.8, 122.2, 127.0, 128.4, 128.6, 128.9, 131.5, 131.7, 134.3, 145.9, 166.8, 168.8; HRMS (CI) calcd for $C_{23}H_{23}N_2OS$ $[M+H]^+$: 375.1531.

Found; 375.1565.

2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-(3-hydroxy-propinyl) thiazole (13c). According to **12c** thiazole **13c** was obtained from Triflat **9c** (60 mg, 0.120 mmol), CuI (2.3 mg, 0.012 mmol), $Pd(OAc)_2$ (2.8 mg, 0.006 mmol), PPh_3 (6.4 mg, 0.024 mmol), 2,6-lutidin (65.6 mg, 0.60 mmol) and propargylalcohol (13.6 mg, 0.24 mmol) in 58% yield (28.0 mg, 0.069 mmol) as a pale brown, highly viscous oil. Major rotamer: ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.87 (bs, 3H), 1.13 (bs, 3H), 2.61 (bs, 1H), 2.99 (bs, 1H), 4.44 (s, 2H), 4.53 (d, J = 15.6 Hz, 1H), 4.68 (d, J = 15.6 Hz, 1H), 5.07 (d, J = 8.4 Hz, 1H) 6.75–7.72 (m, 11H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 19.8, 20.3, 30.2, 51.3, 52.2, 64.6, 74.9, 75.2, 95.0, 95.3, 118.9, 119.5, 126.5, 127.1, 127.3, 128.2, 128.8, 129.6, 129.9, 136.4, 145.5, 146.5, 172.8, 172.8; minor rotamer (selected signals): ^{1}H-NMR (400 MHz, $CDCl_3$): δ = 0.72 (bs, 3H), 0.94 (bs, 3H), 2.70 (bs, 1H), 4.28 (s, 2H), 4.66–4.73 (m, 2H), 4.96 (d, J = 16.0 Hz, 1H); ^{13}C-NMR (100 MHz, $CDCl_3$): δ = 30.8, 45.4, 51.2, 66.1, 74.9, 75.2, 95.0, 95.3, 118.9, 119.5, 145.5, 146.5; HRMS (CI) calcd for $C_{24}H_{23}N_2OS$ $[M+H]^+$: 387.1531. Found; 387.1486.

2-[1-(*N*-Benzoylamino)-2-methylpropyl] 4*H*-5-thiazolone (2a).





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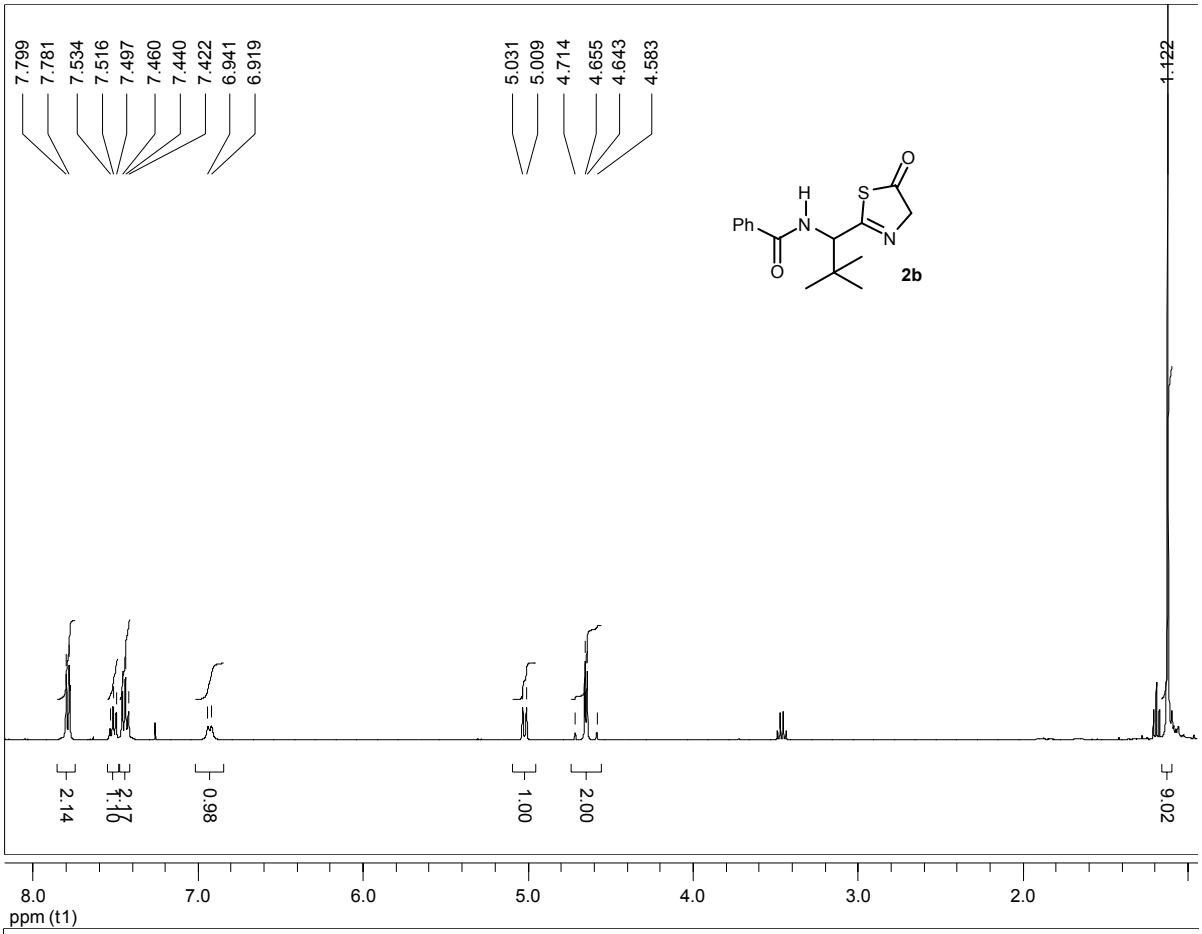

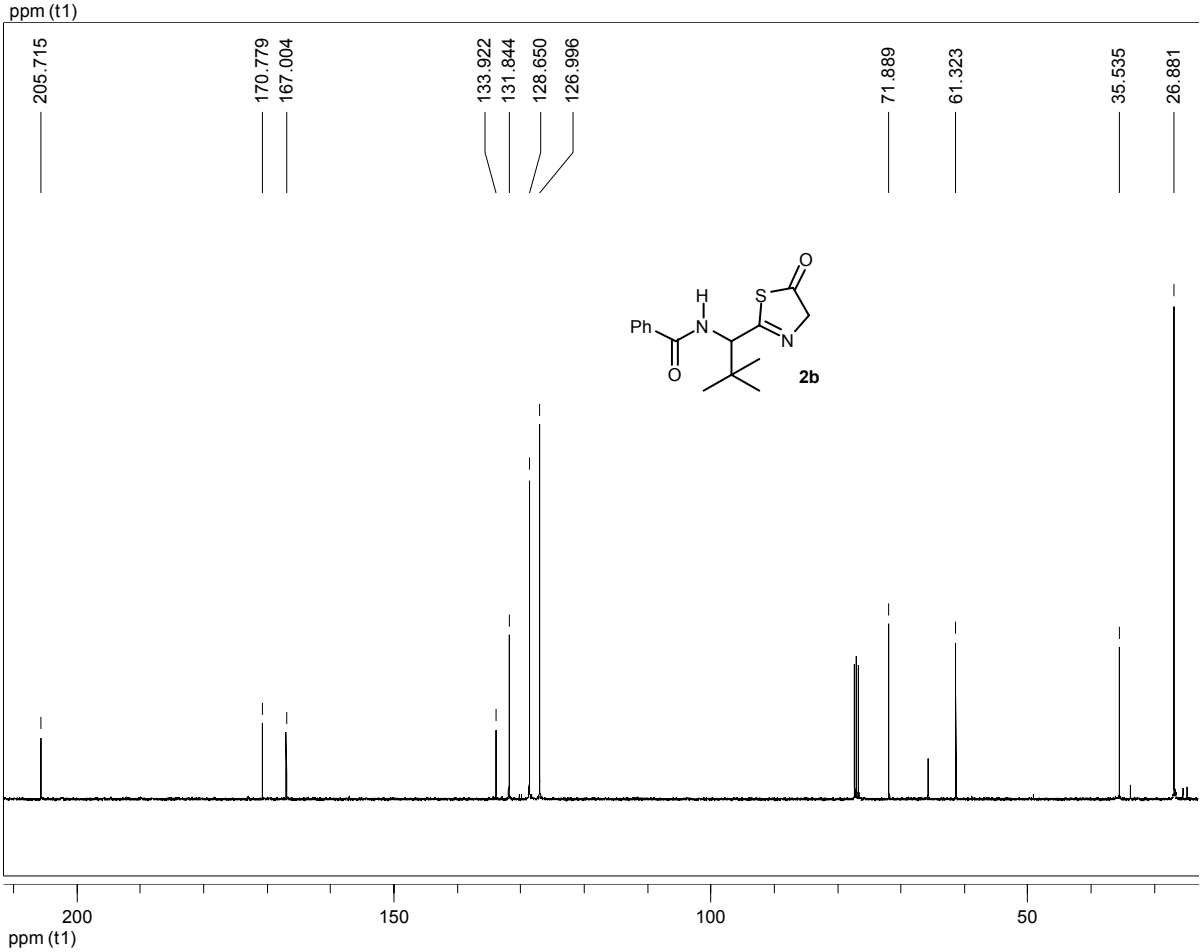

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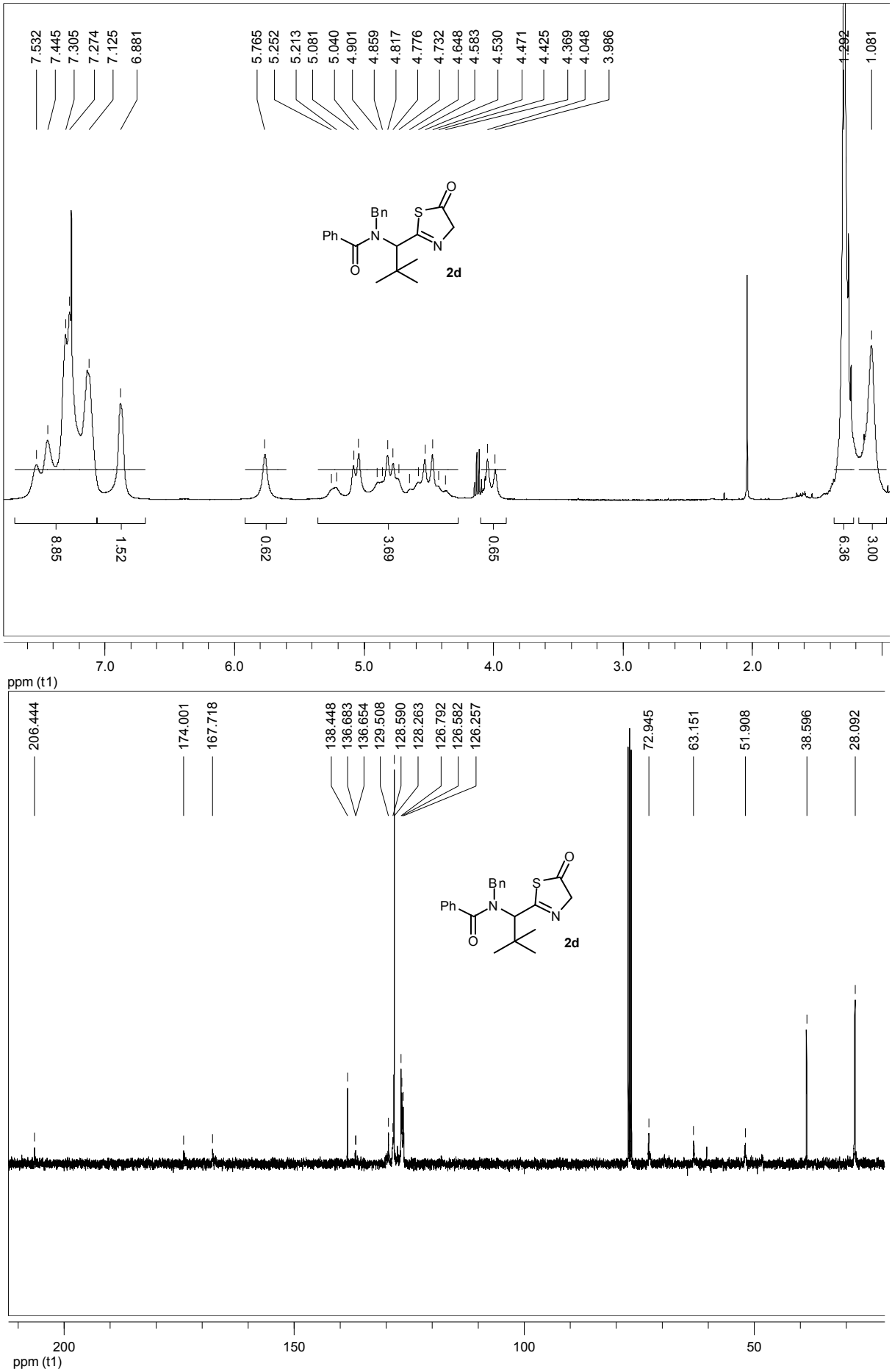
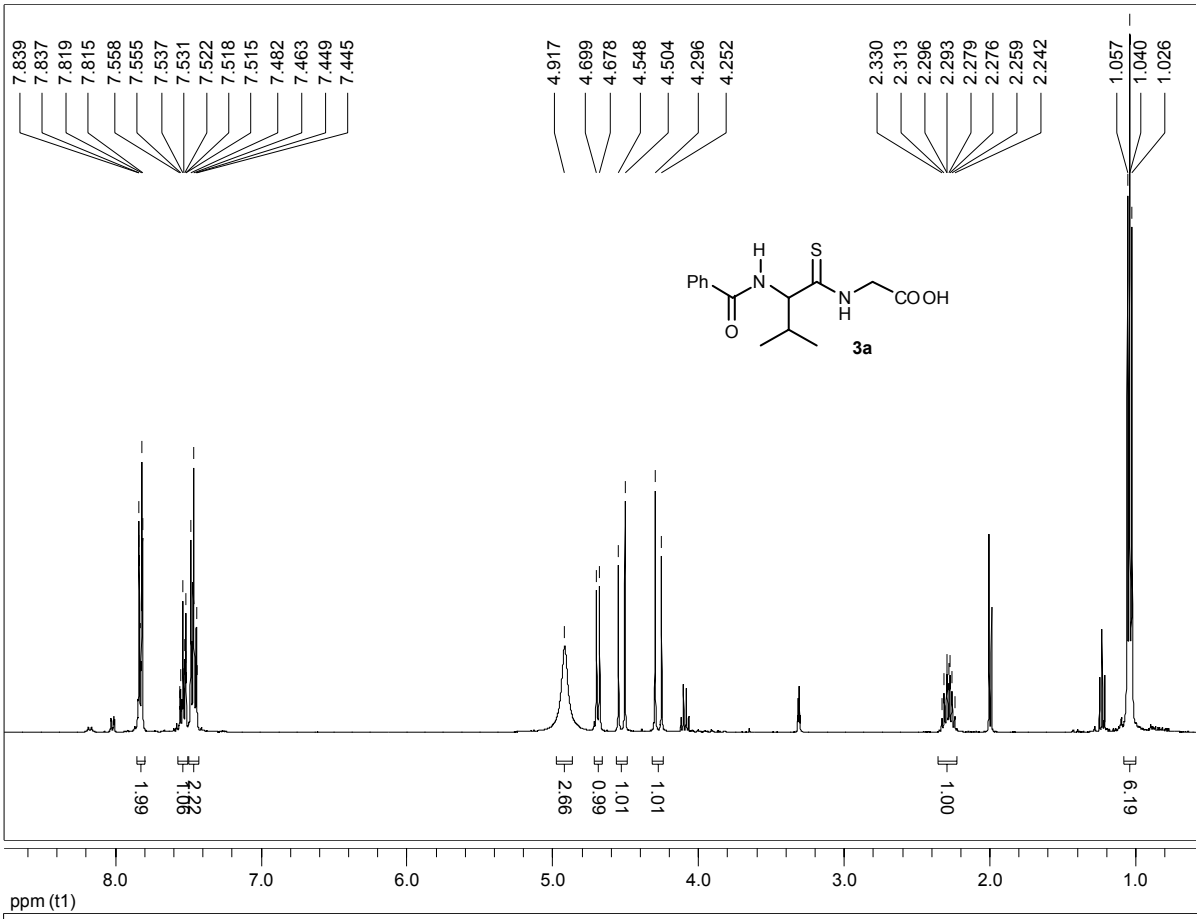
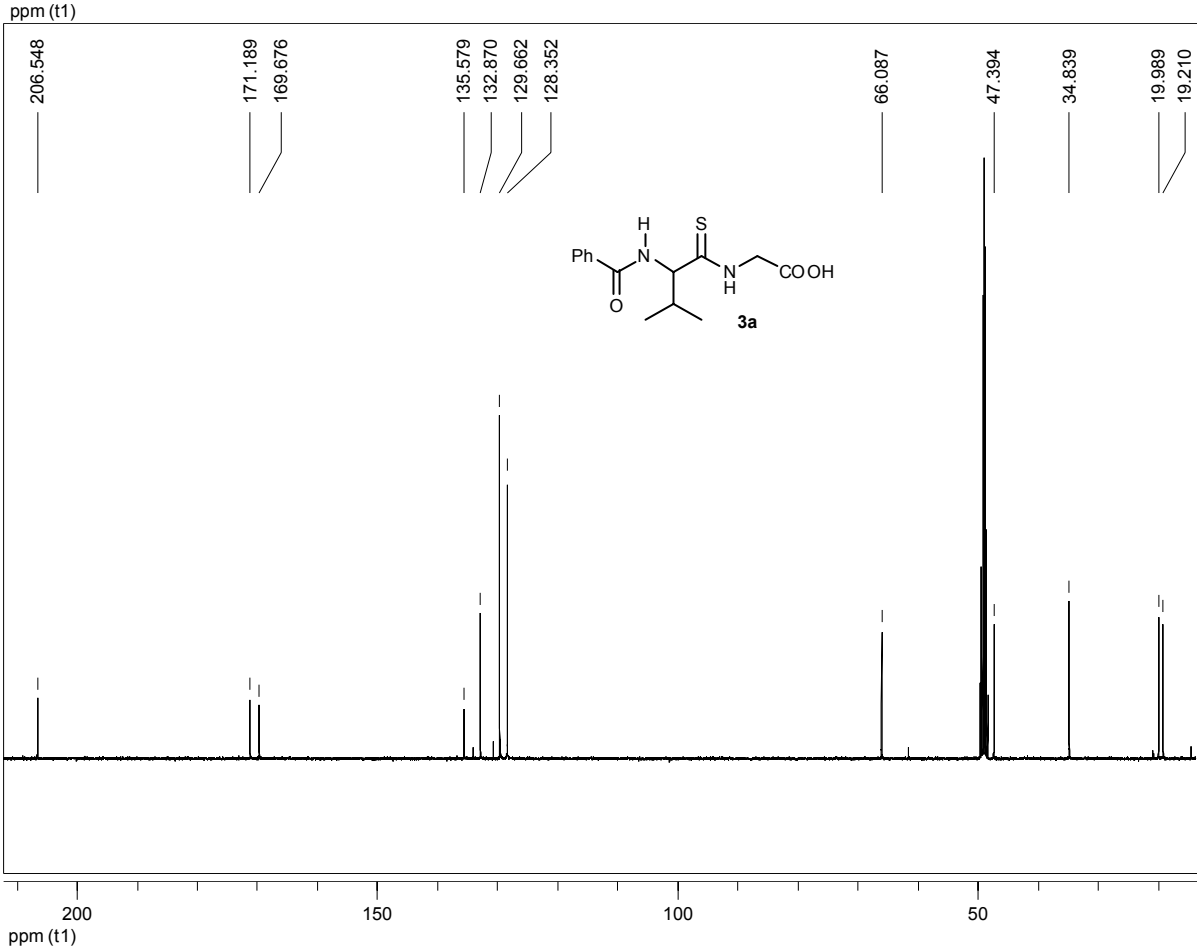
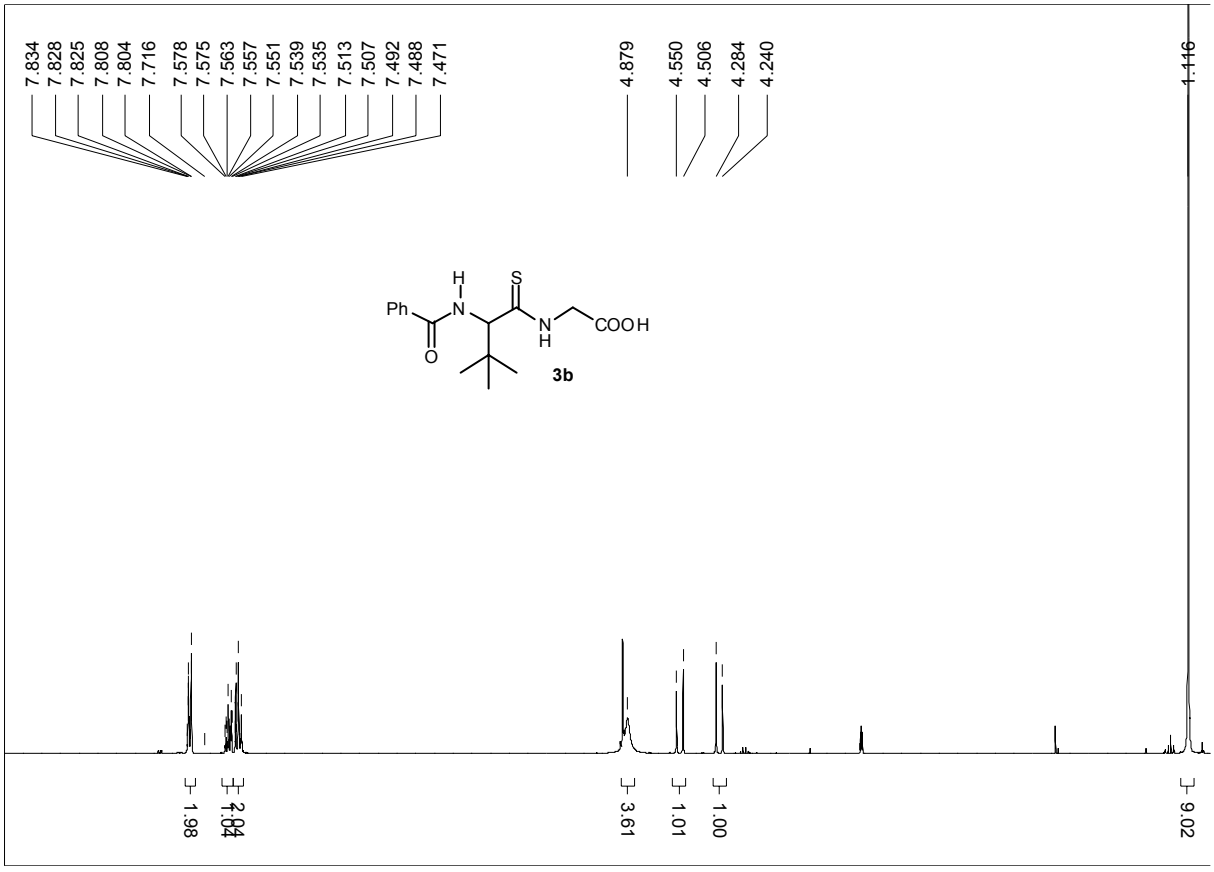


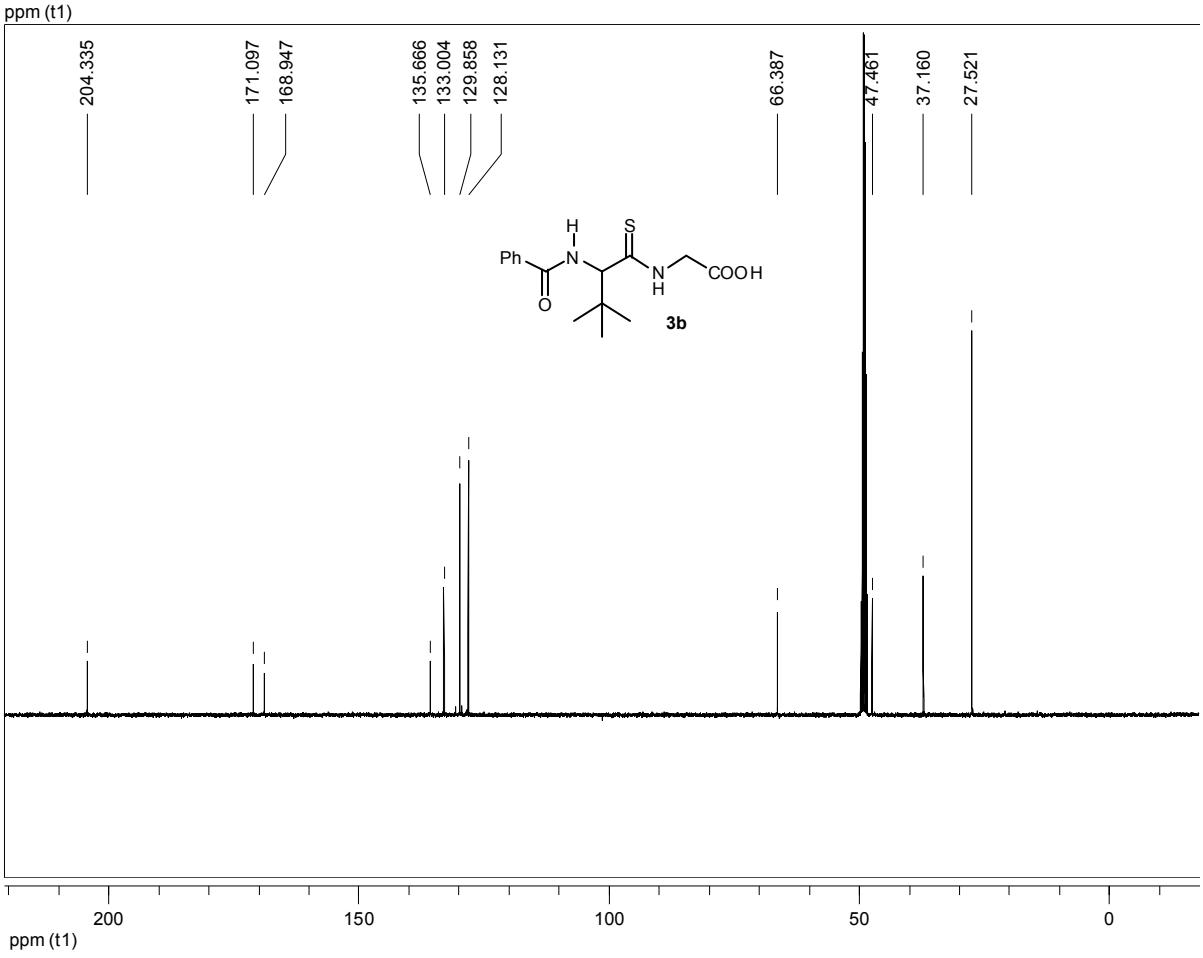
[2-(*N*-Benzoylamino)-3-methyl-thiobutyryl] glycine (3a).



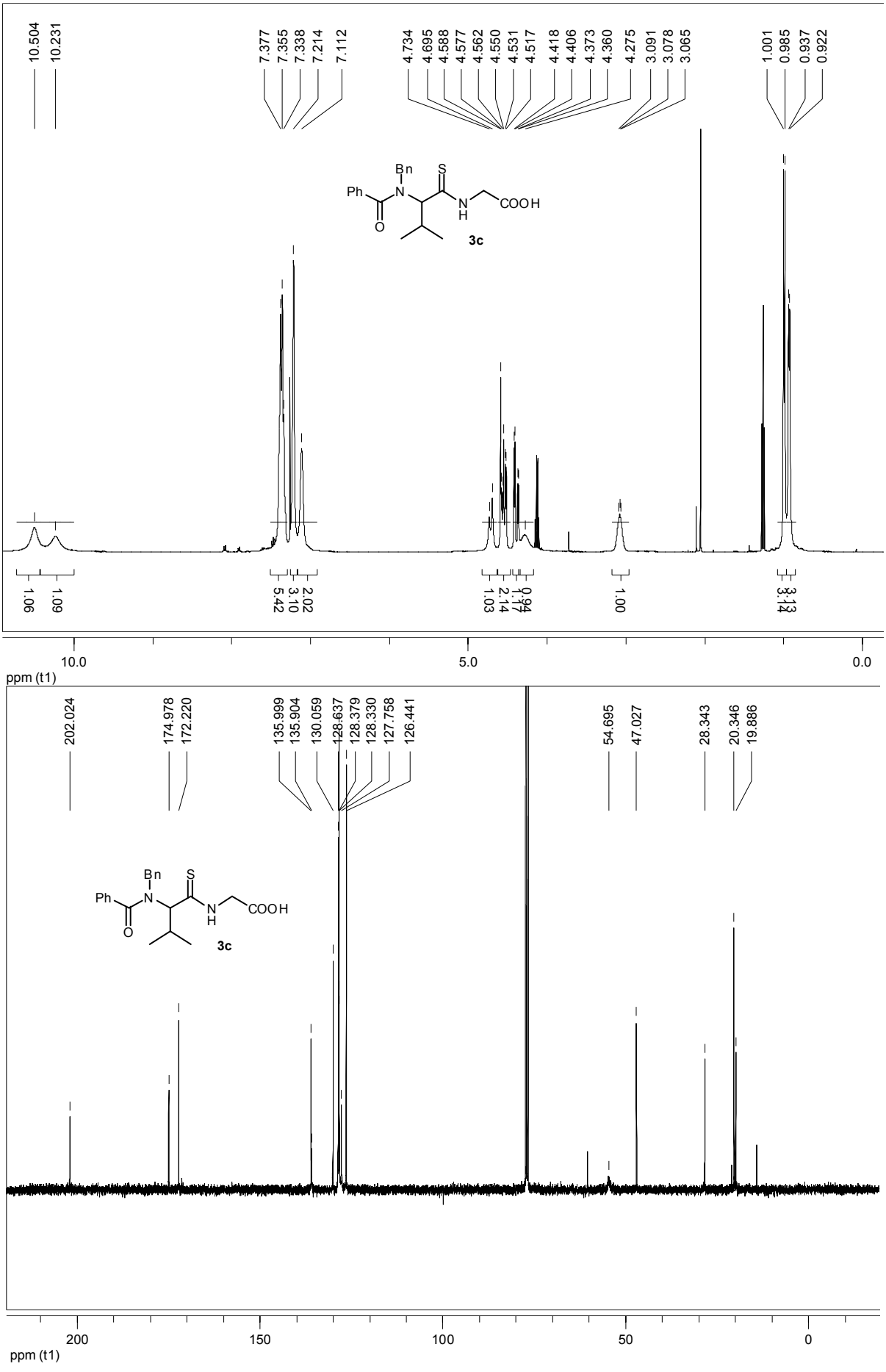


[2-(*N*-Benzoylamino)-3,3-dimethyl-thiobutyryl] glycine (3b).

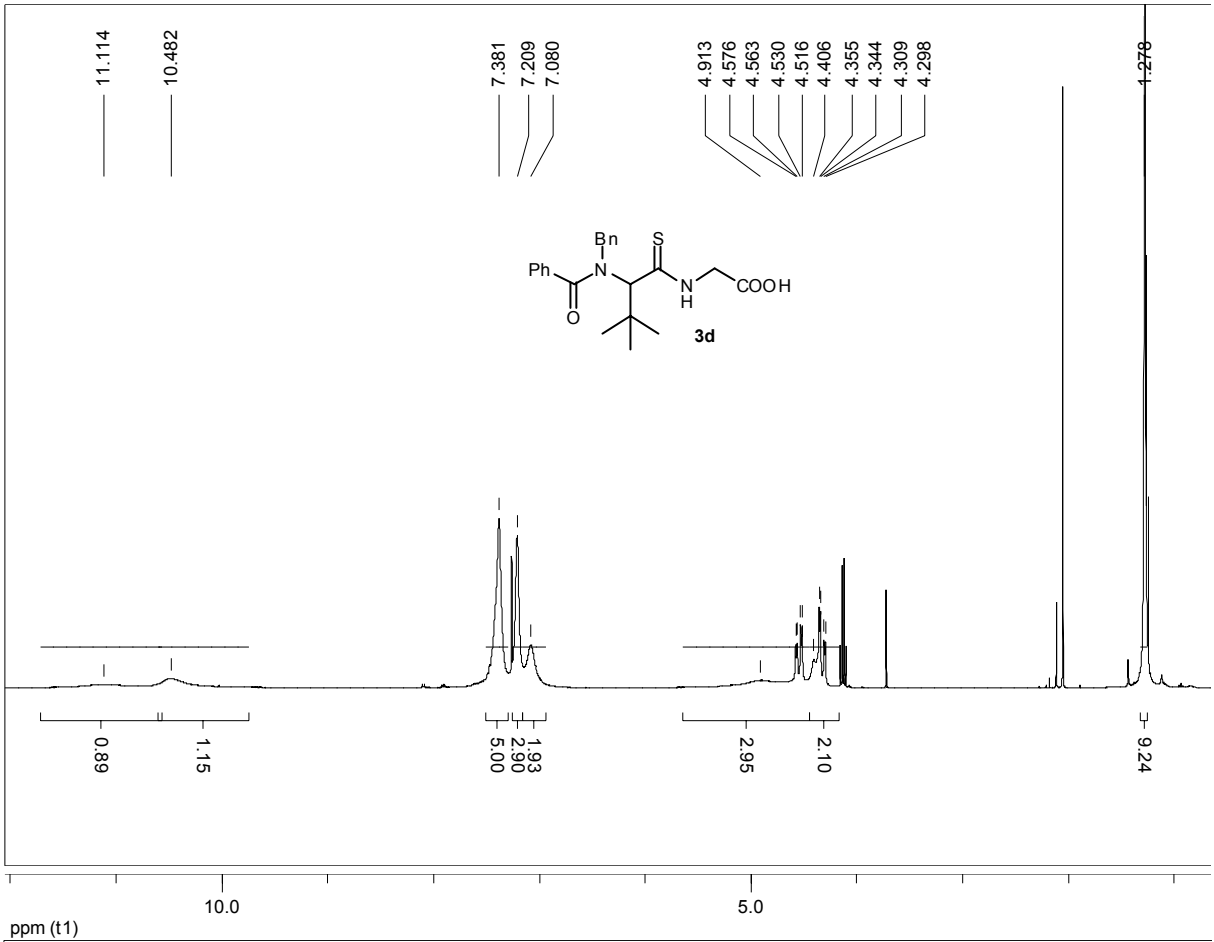


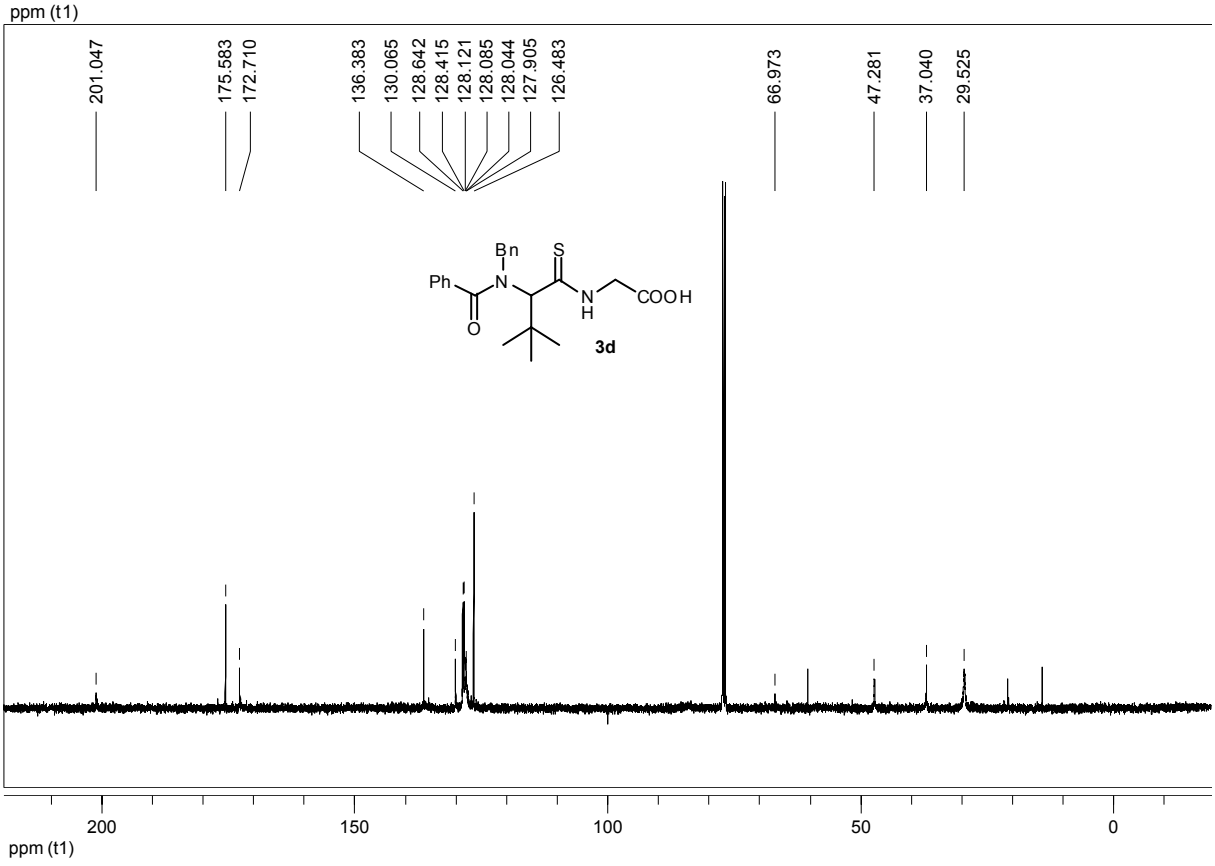


[2-(*N*-Benzoyl-*N*-benzylamino)-3-methyl-thiobutyryl] glycine (3c).

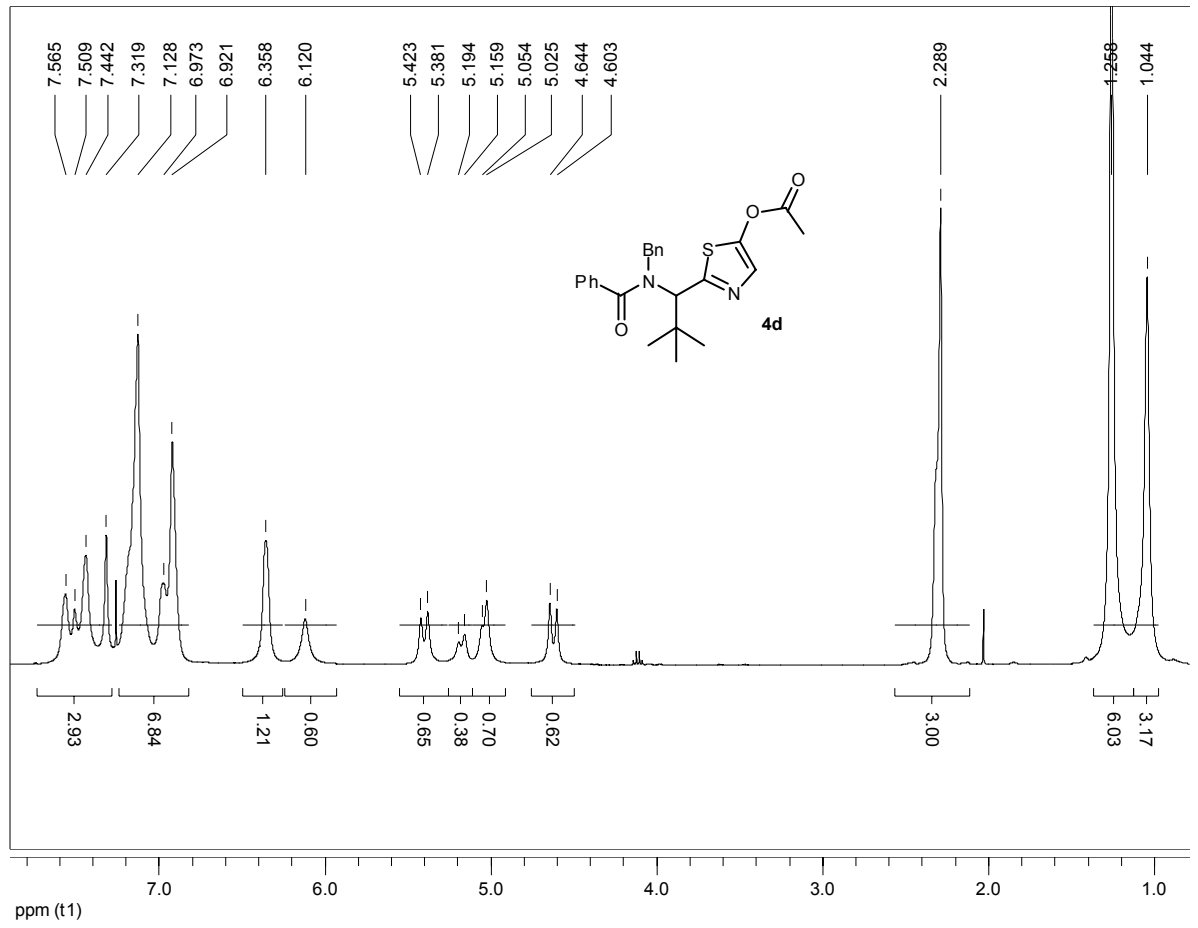


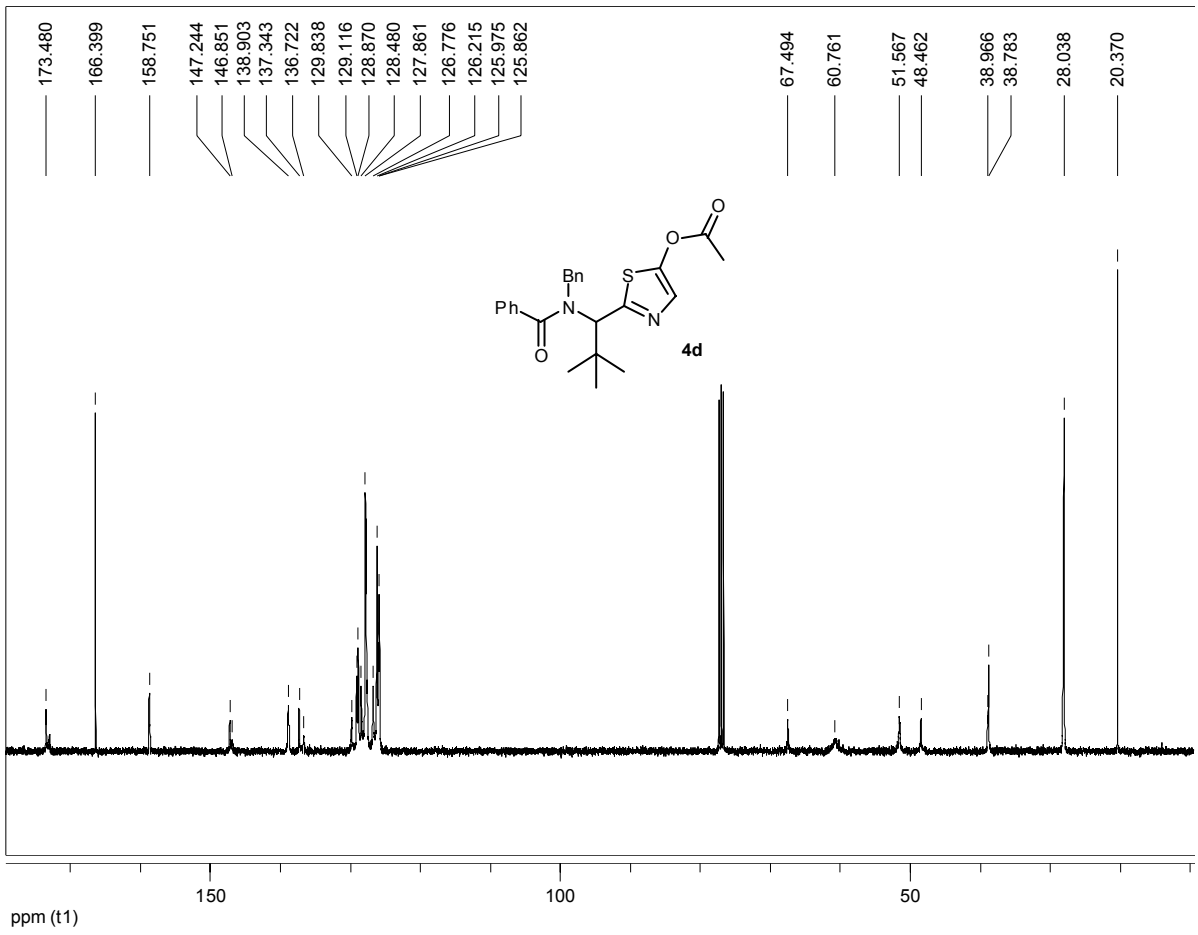
[2-(*N*-Benzoyl-*N*-benzylamino)-3,3-dimethyl-thiobutyryl] glycine (3d).



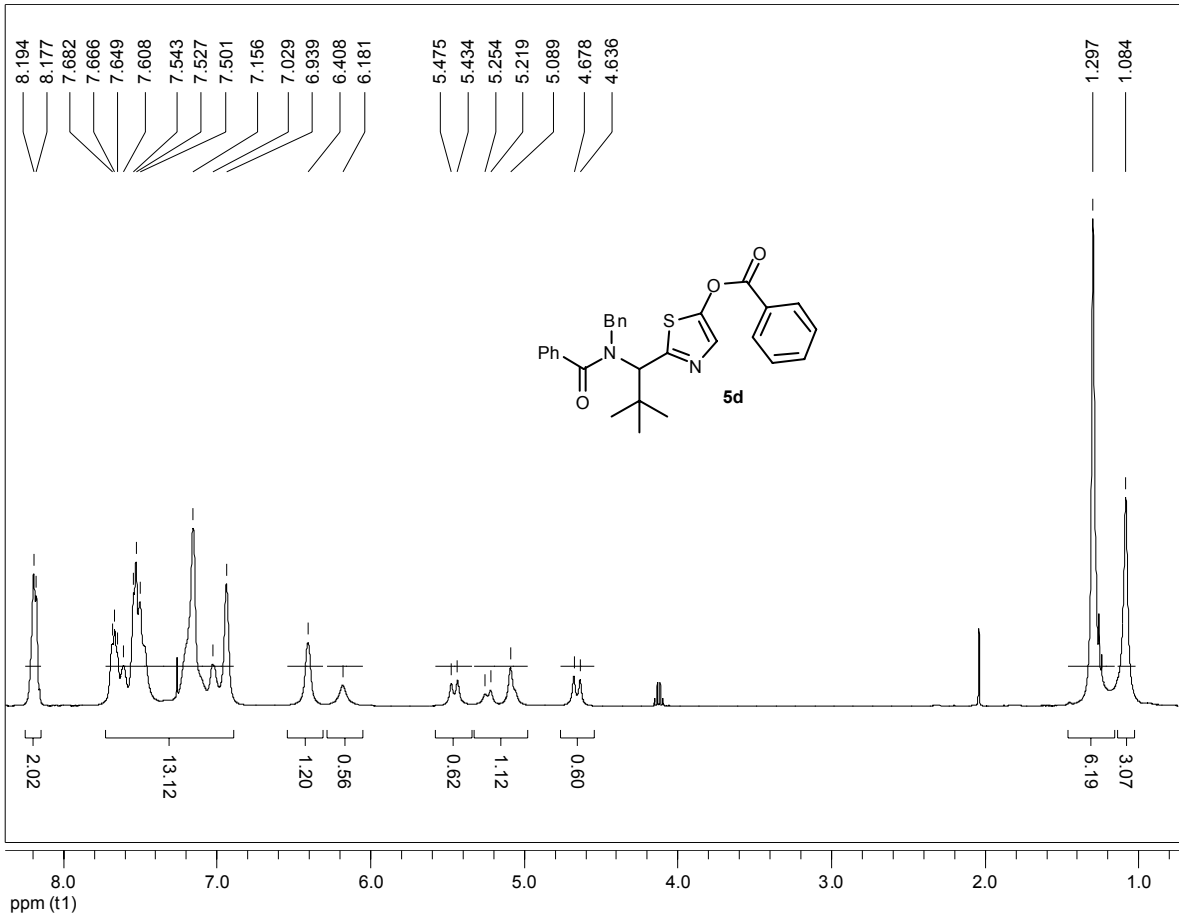


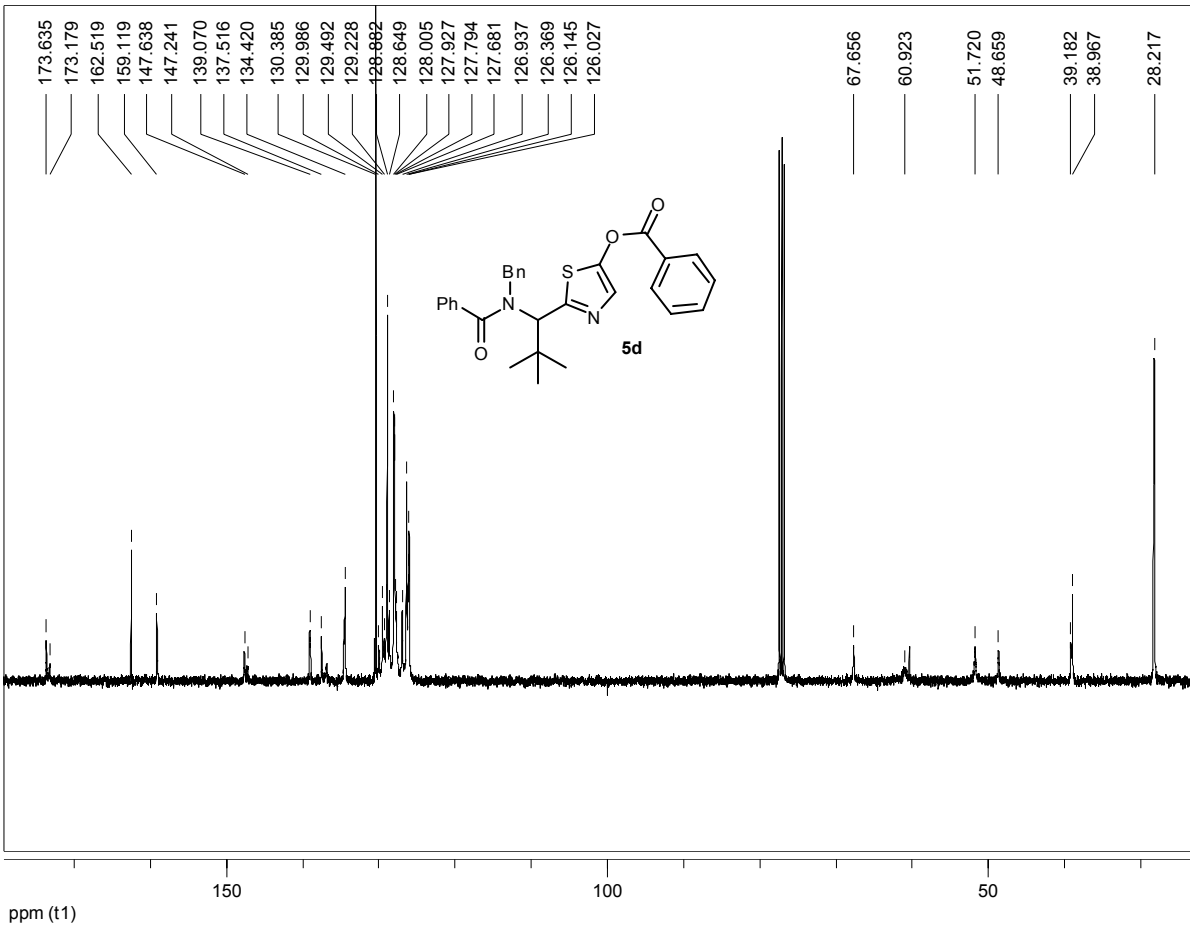
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl acetate (4d).



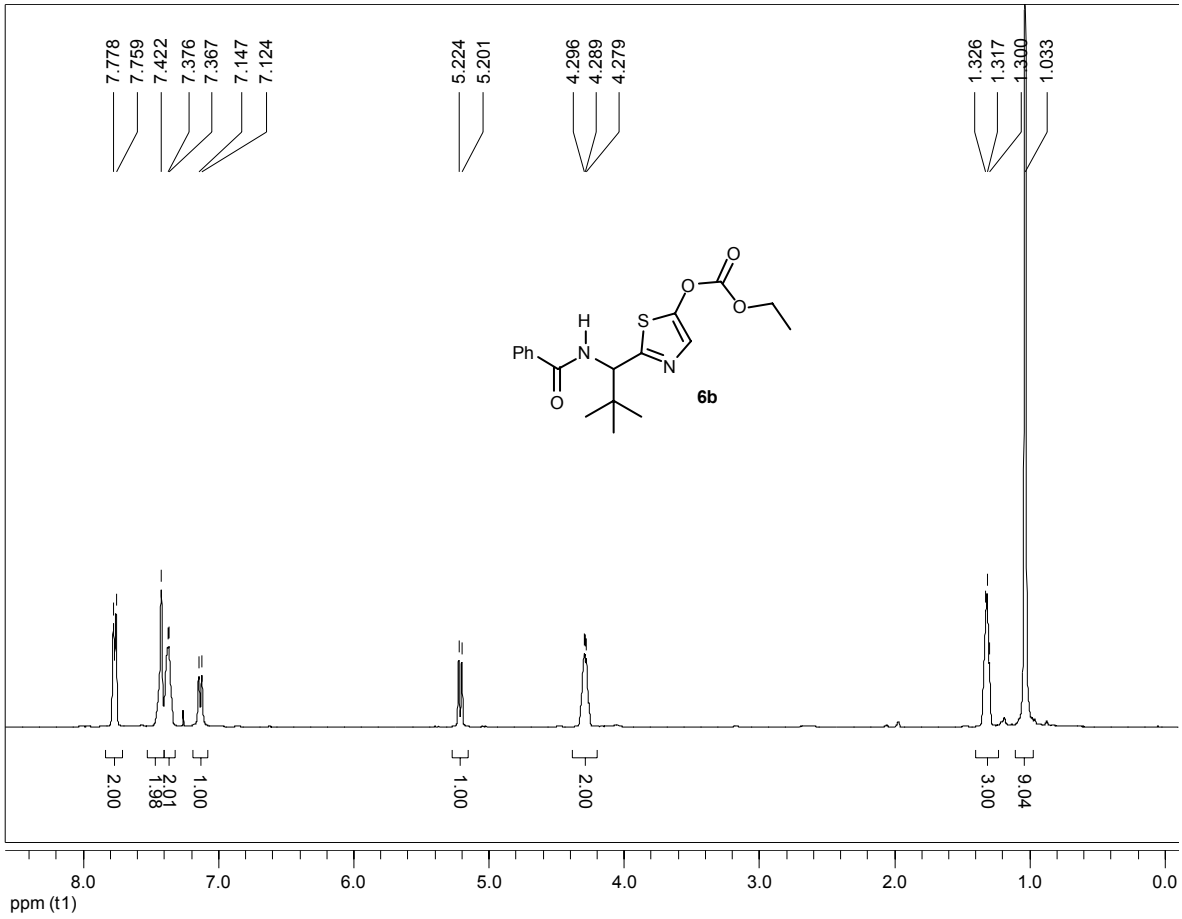


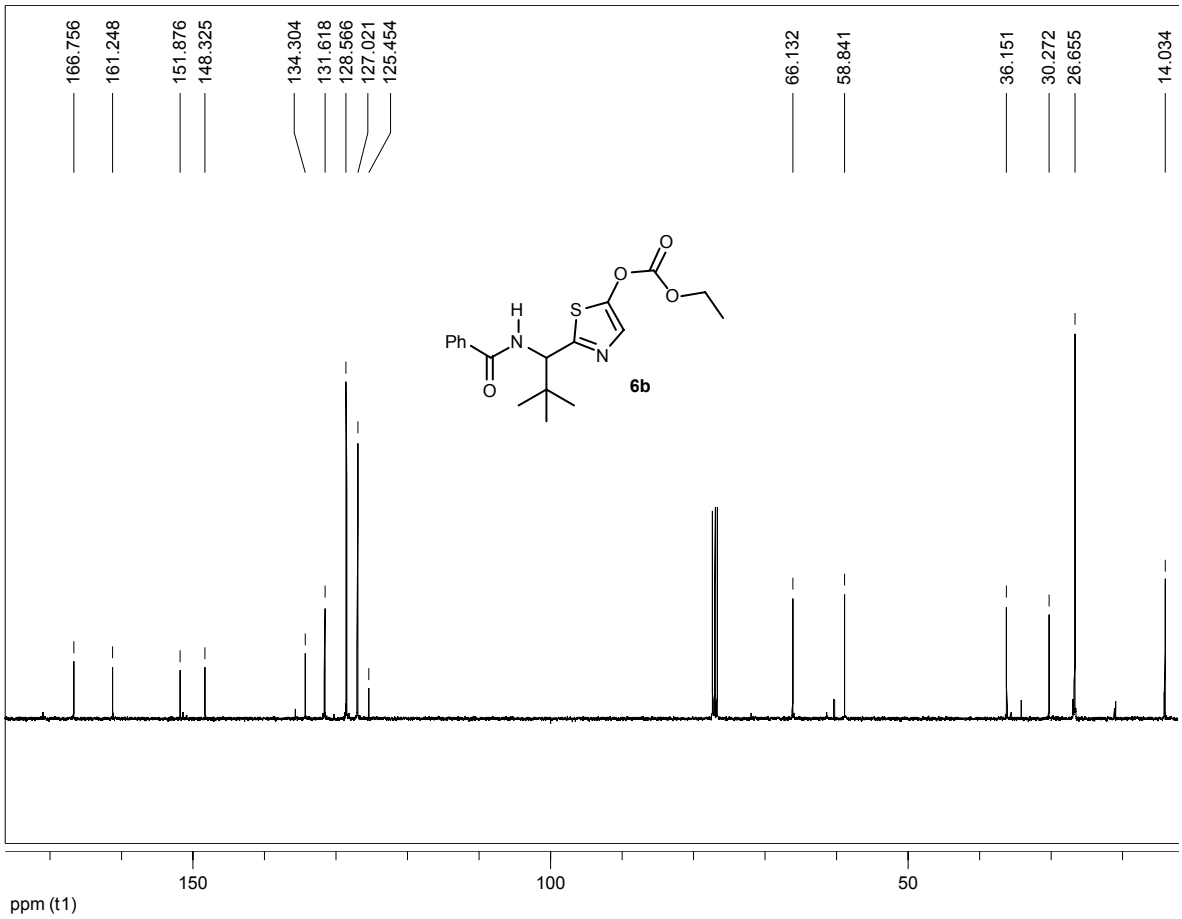
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl benzoate (5d).



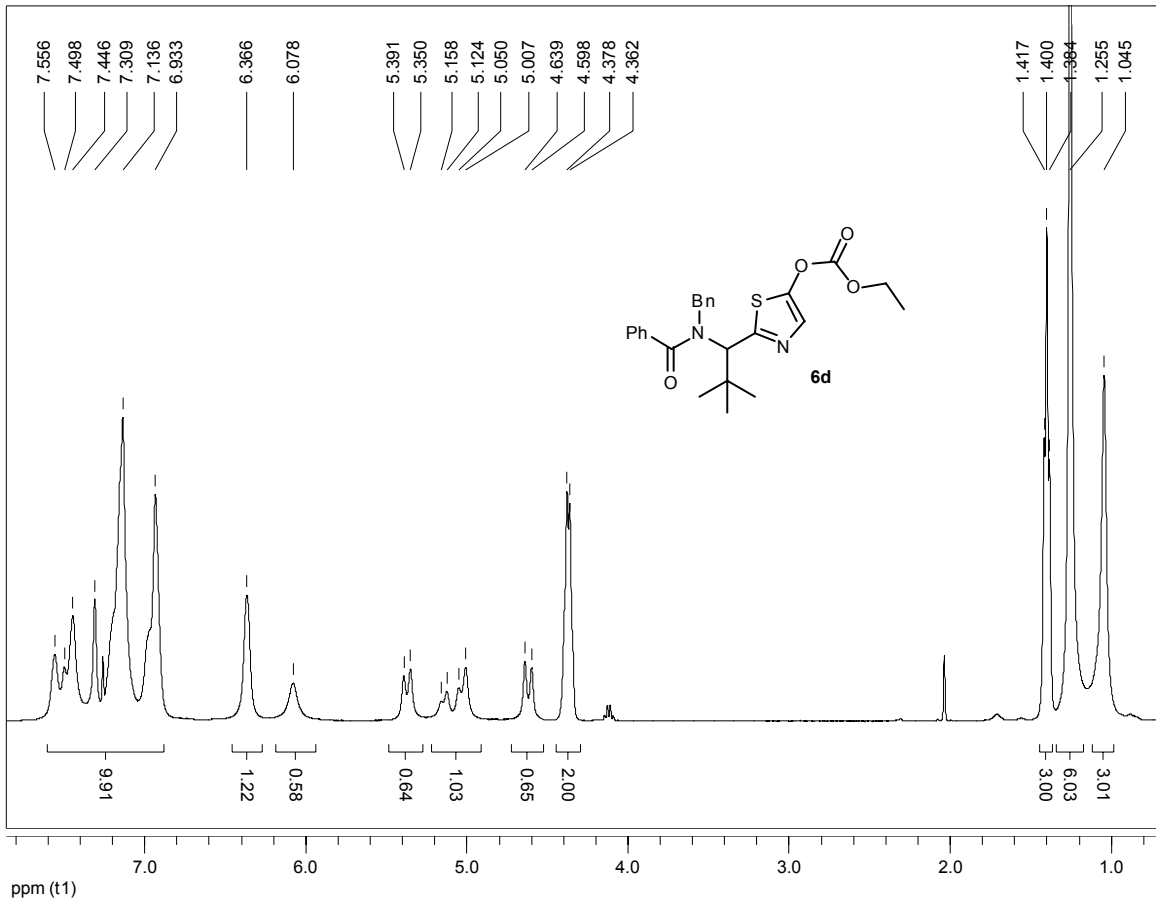


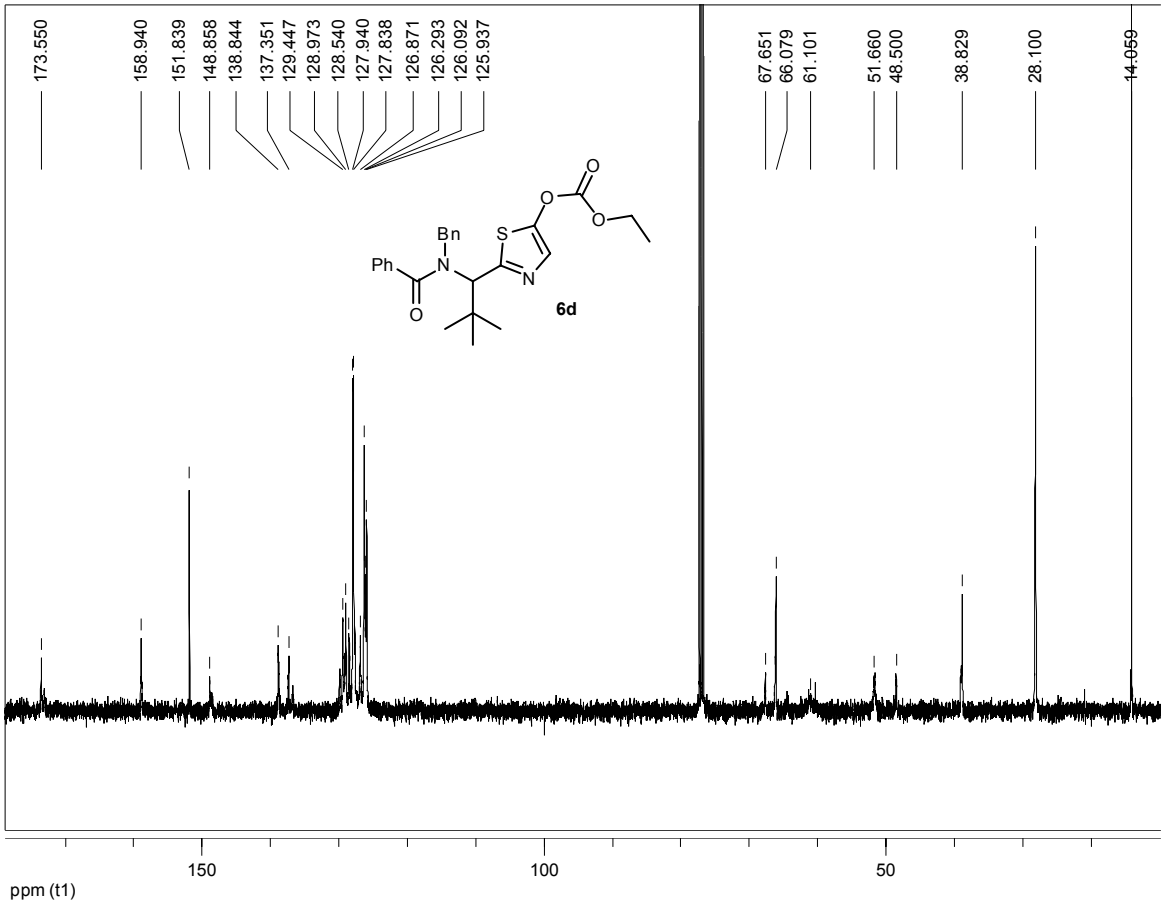
2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-thiazolyl ethyl carbonate (6b).



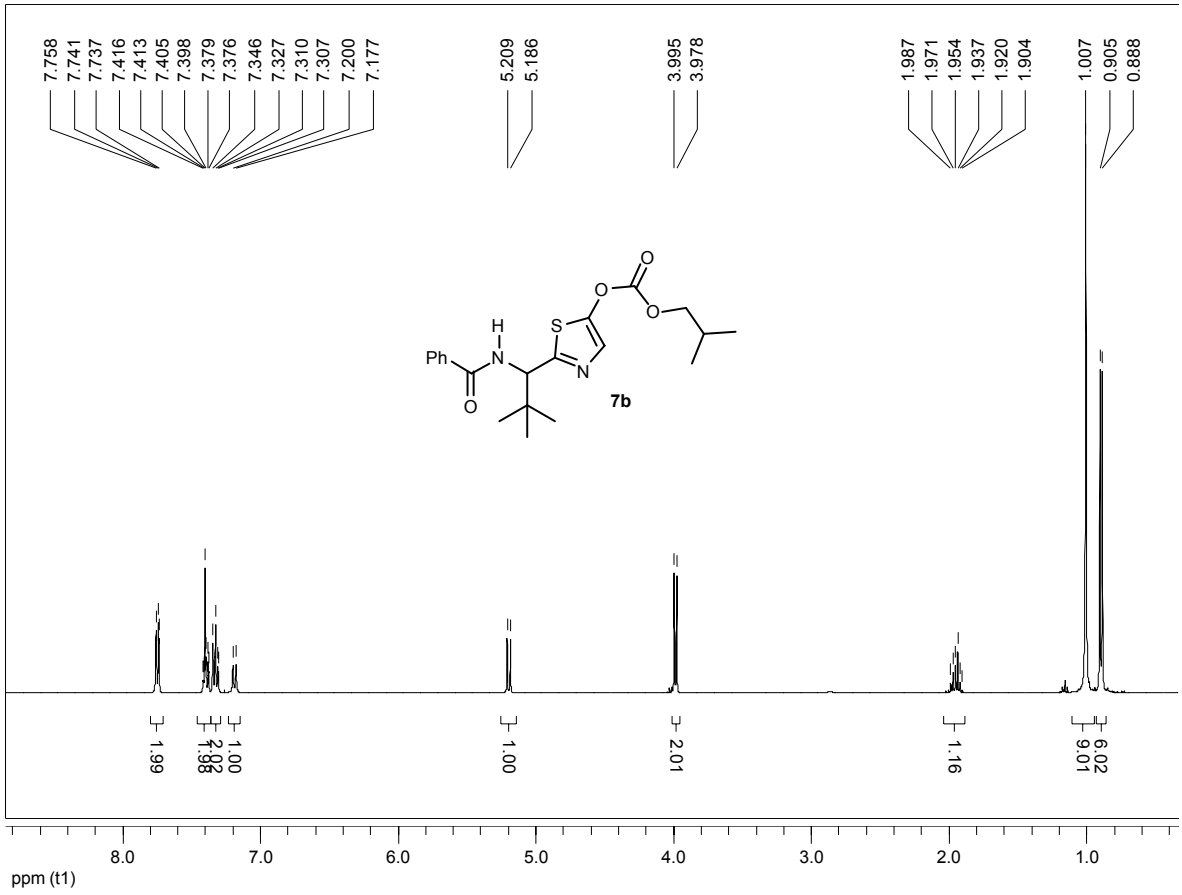


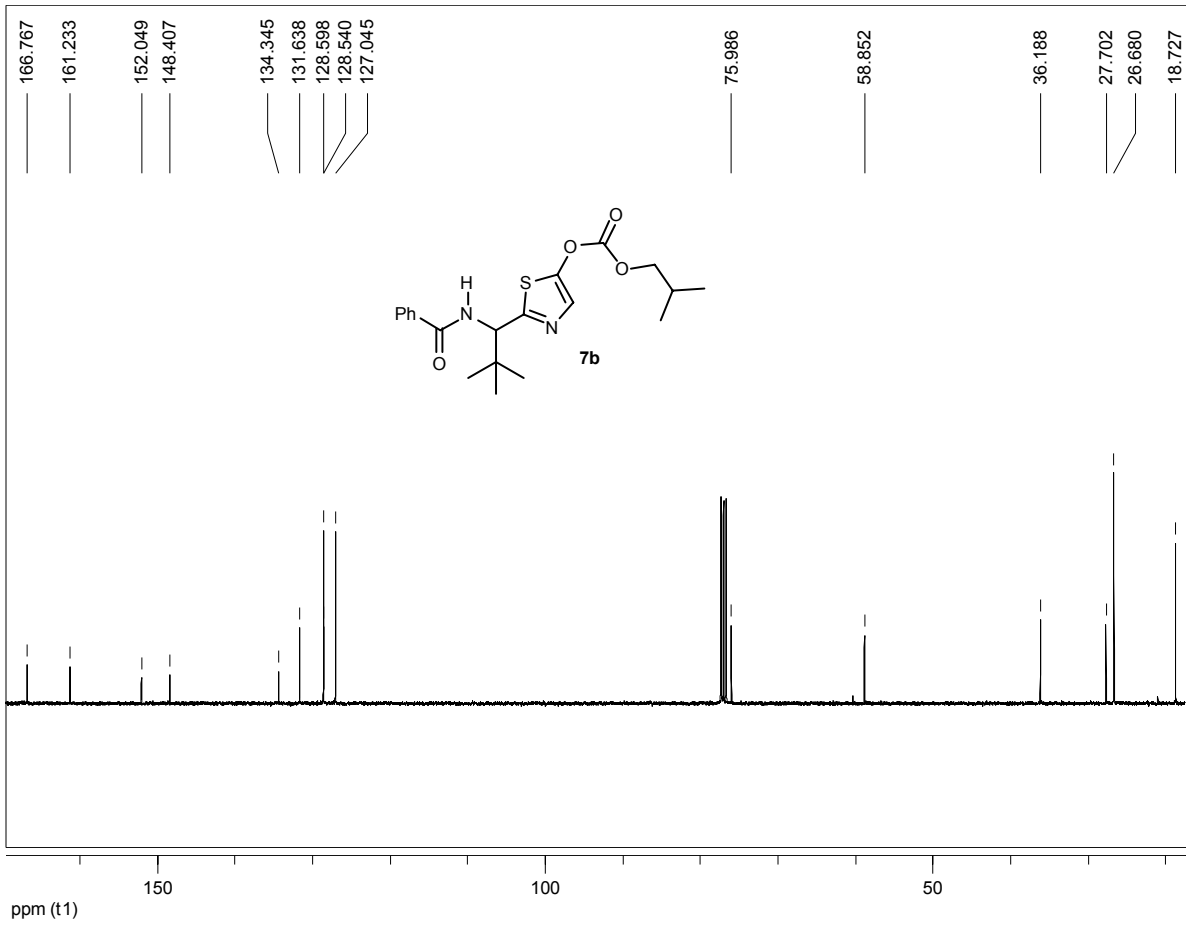
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl ethyl carbonate (6d).



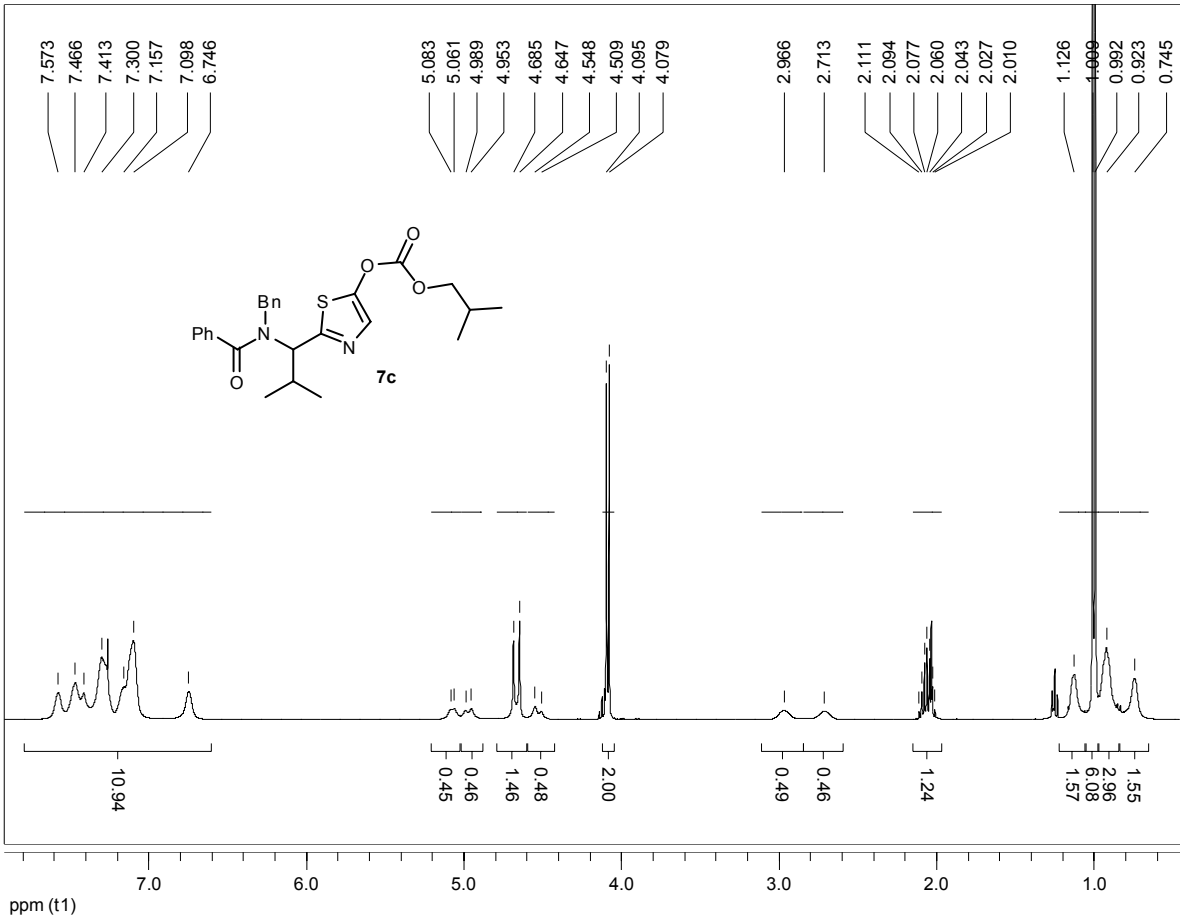


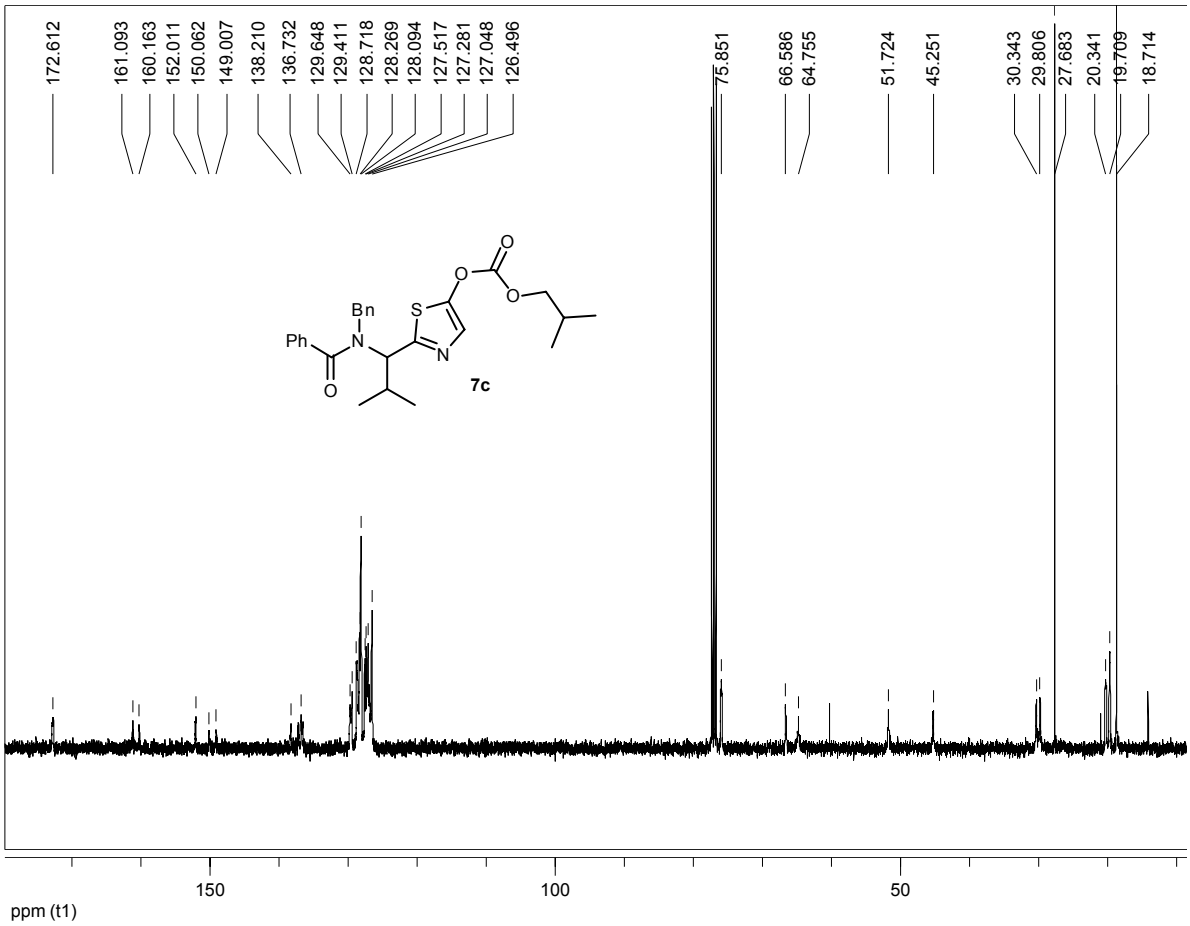
2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-thiazolyl isobutyl carbonate (7b).



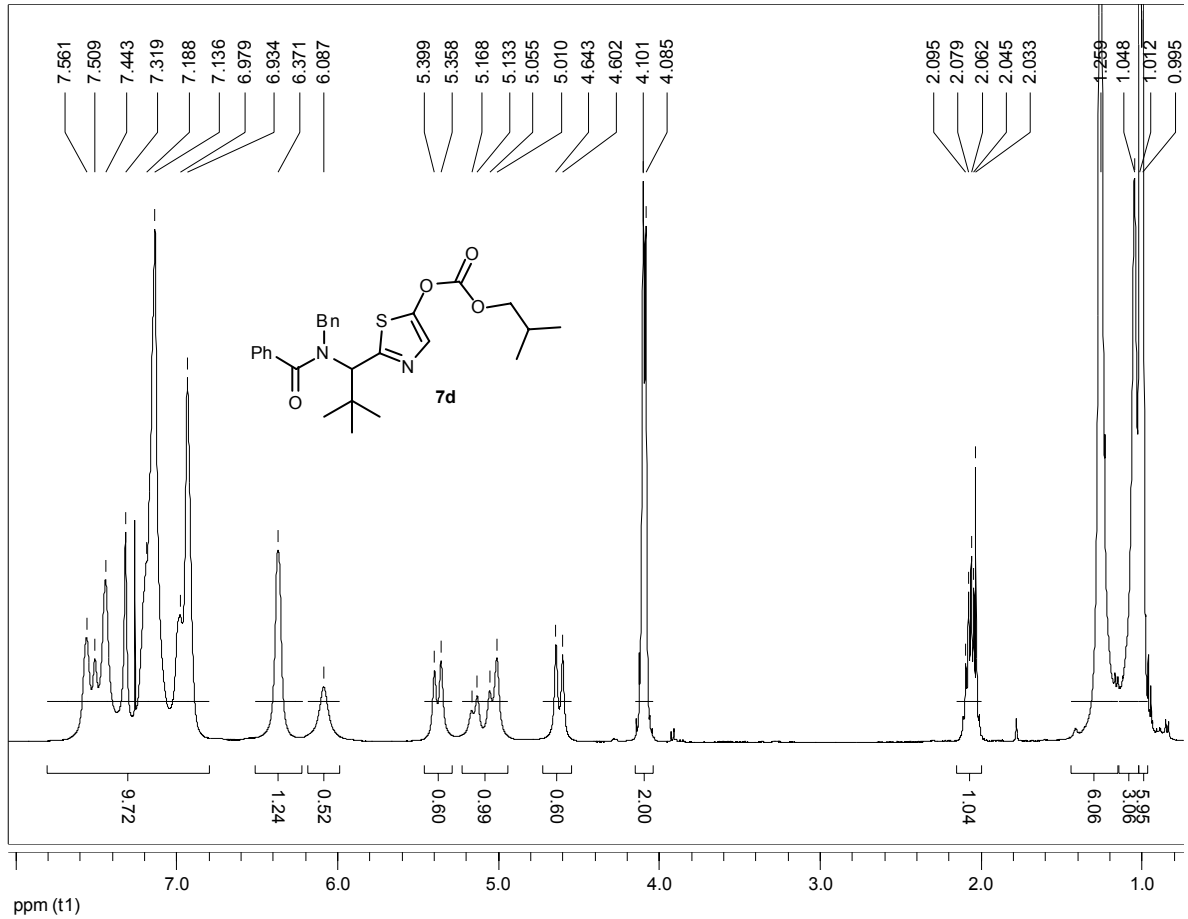


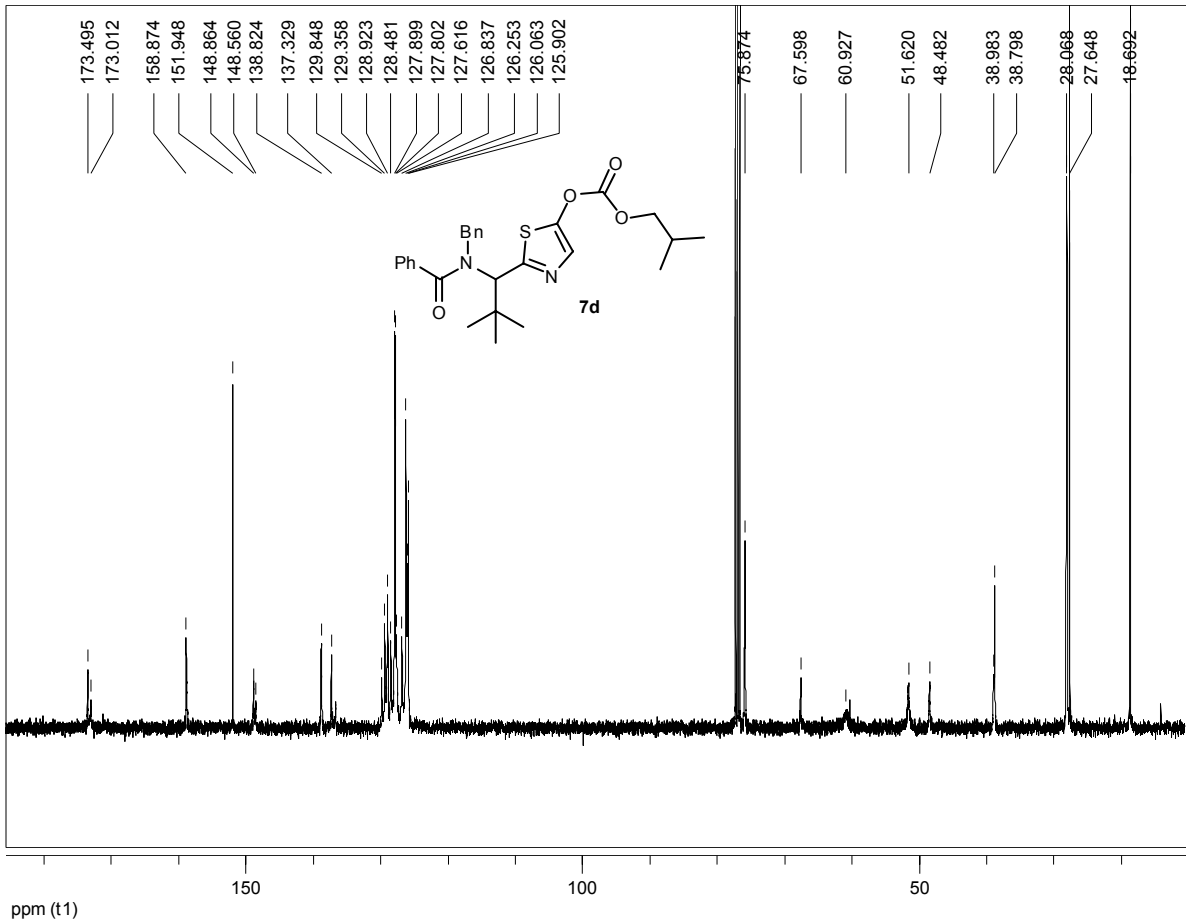
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-thiazolyl isobutyl carbonate (7c).



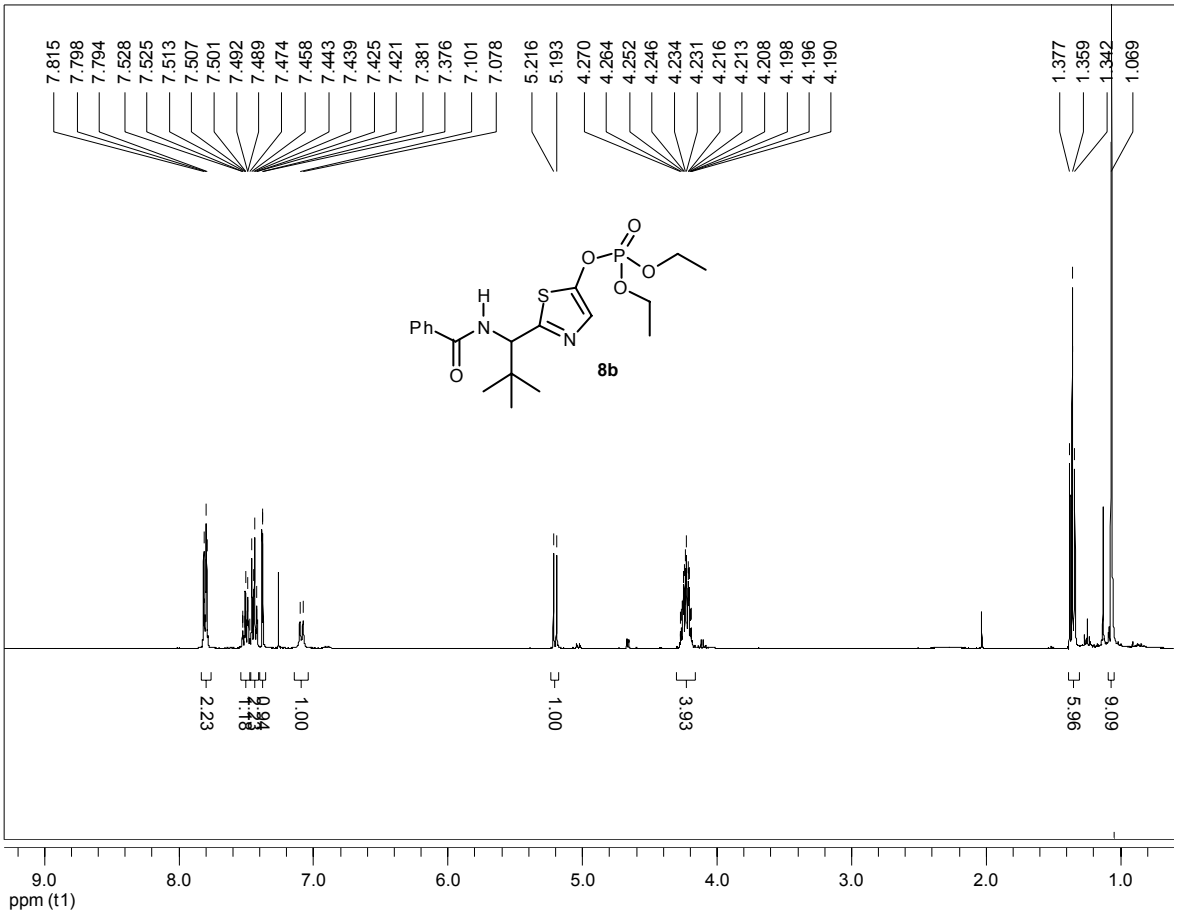


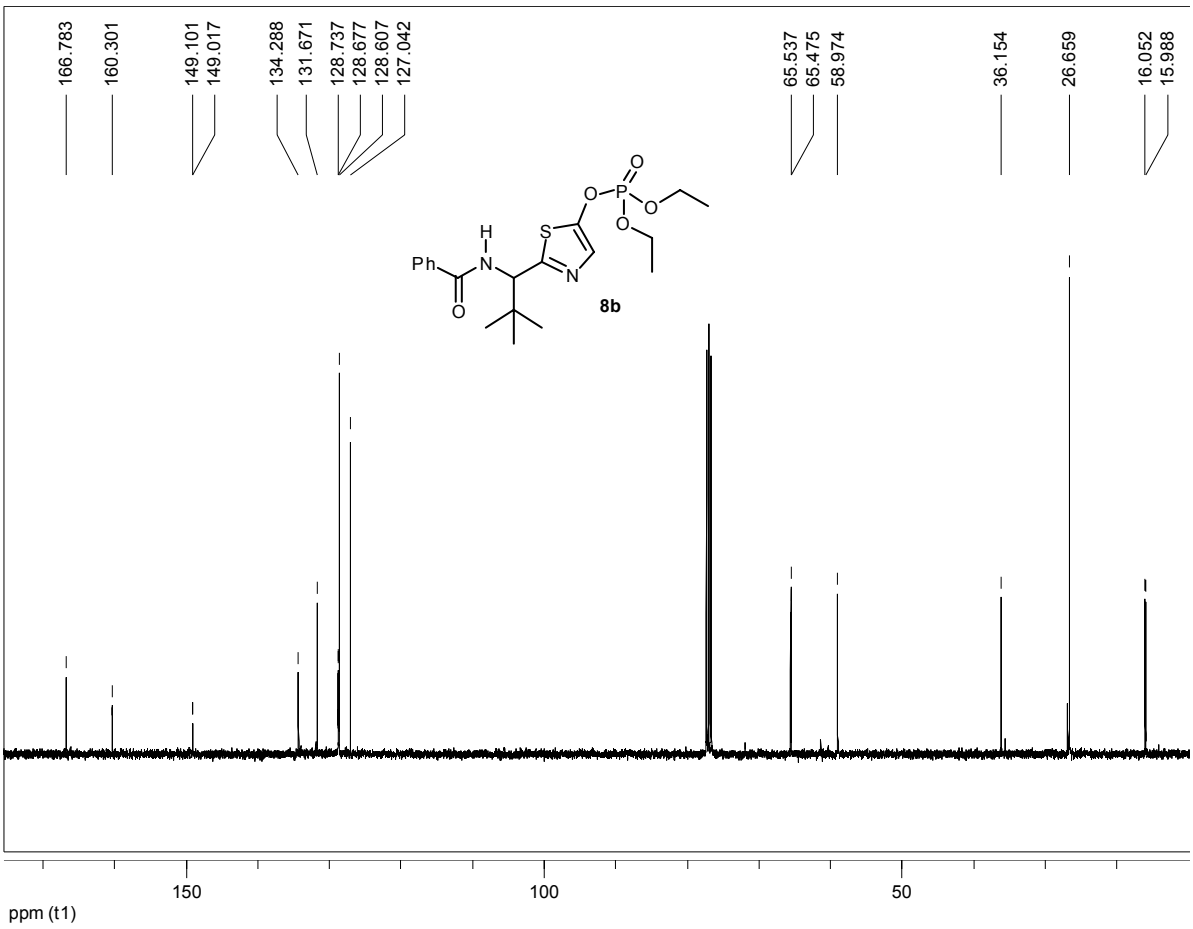
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl isobutyl carbonate (7d).



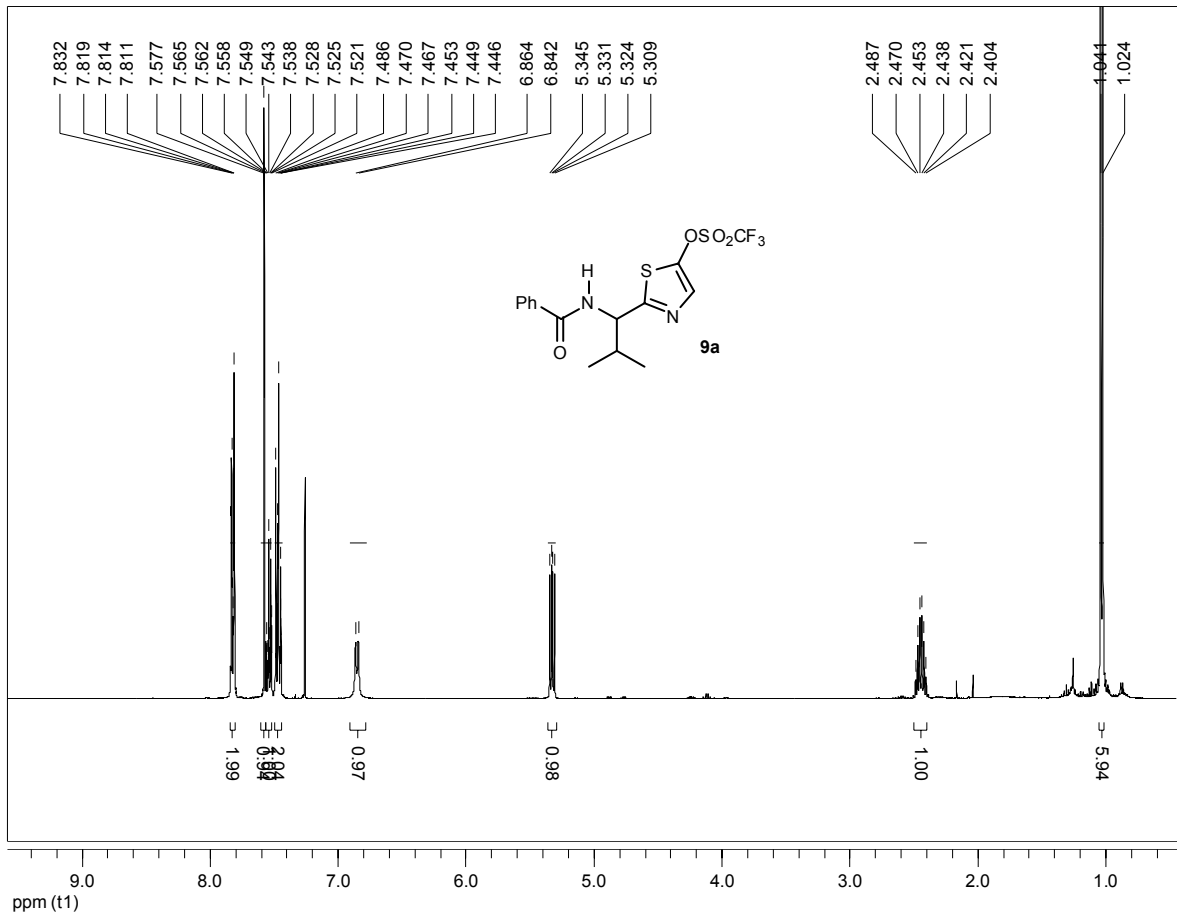


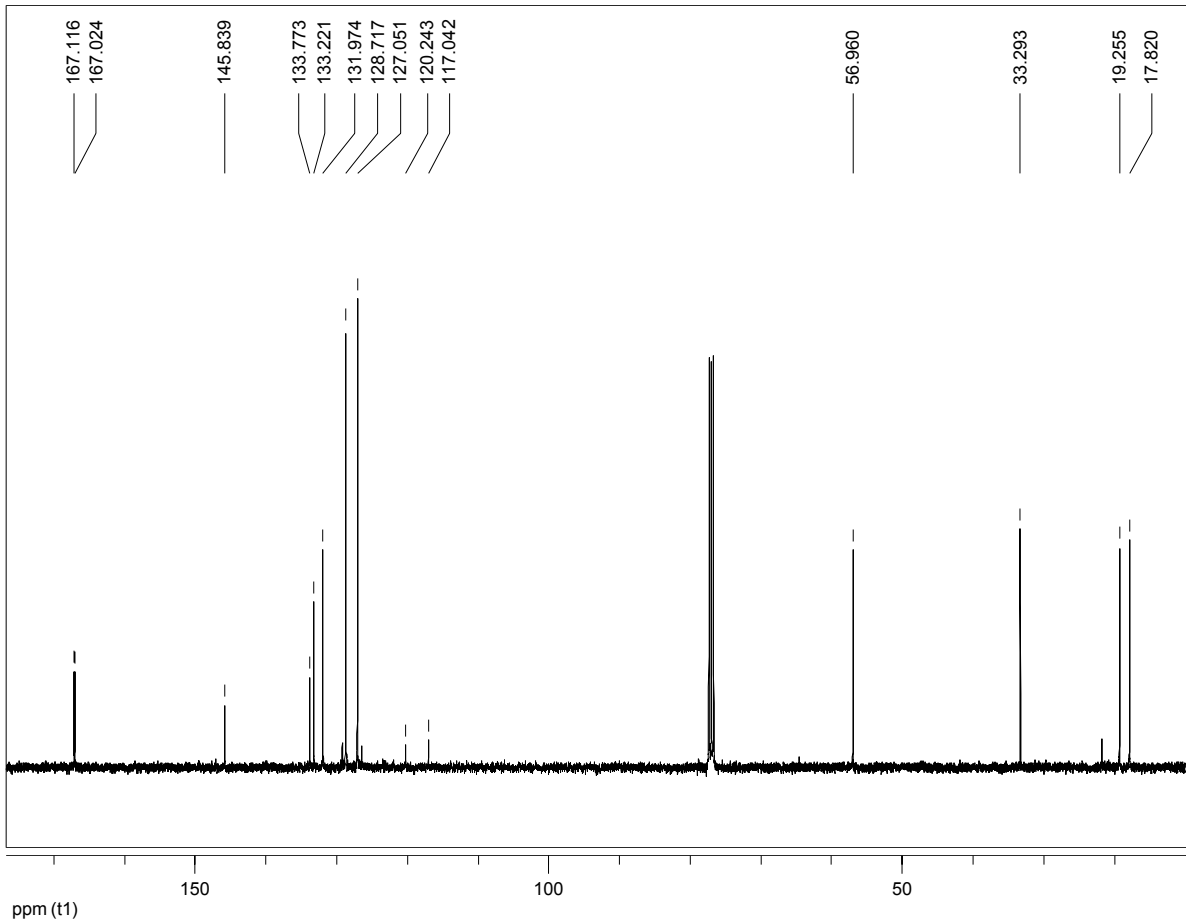
2-[1-(*N*-Benzoylamino)-2-methylpropyl]-5-thiazolyl diethyl phosphate (8b).

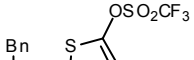




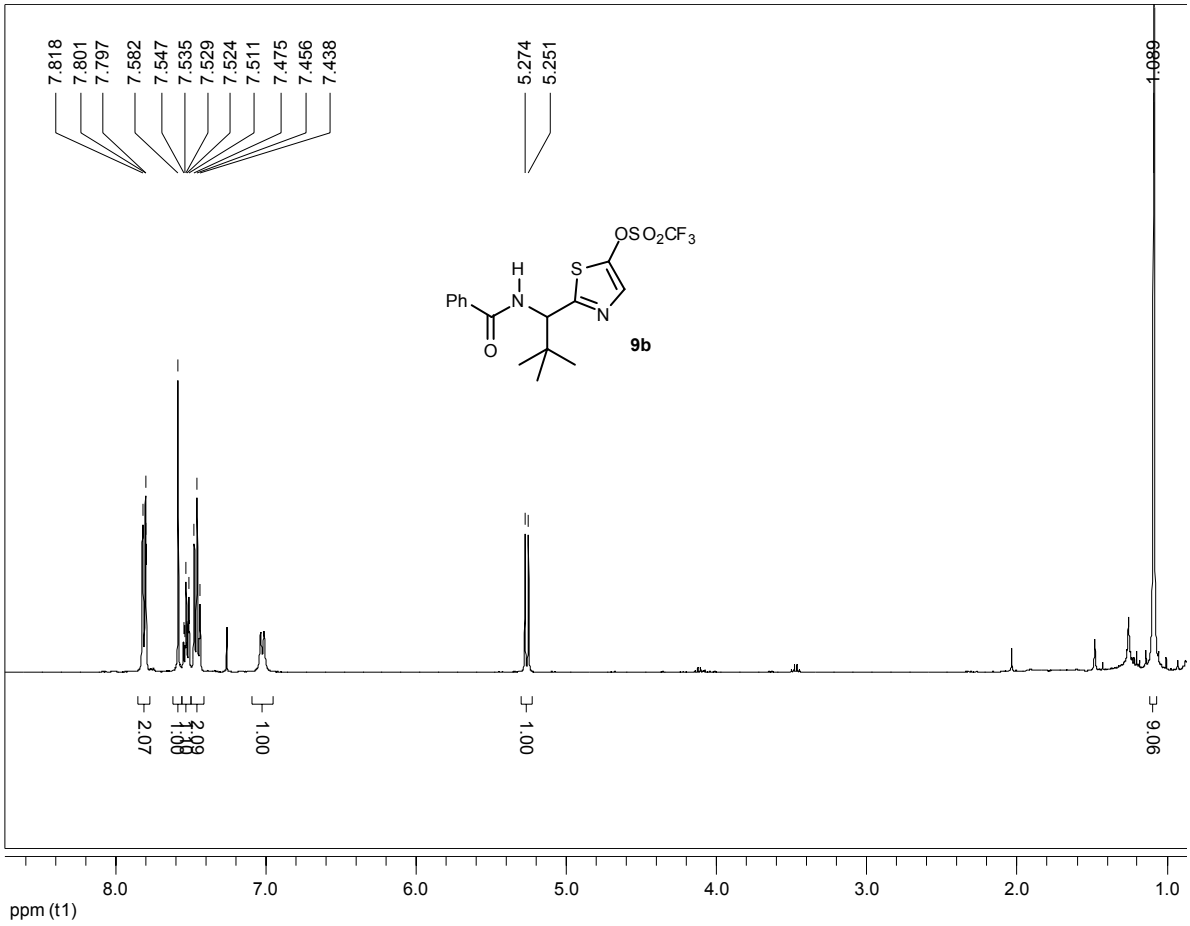
2-[1-(*N*-Benzoylamino)-2-methylpropyl]-5-thiazolyl triflate (9a).

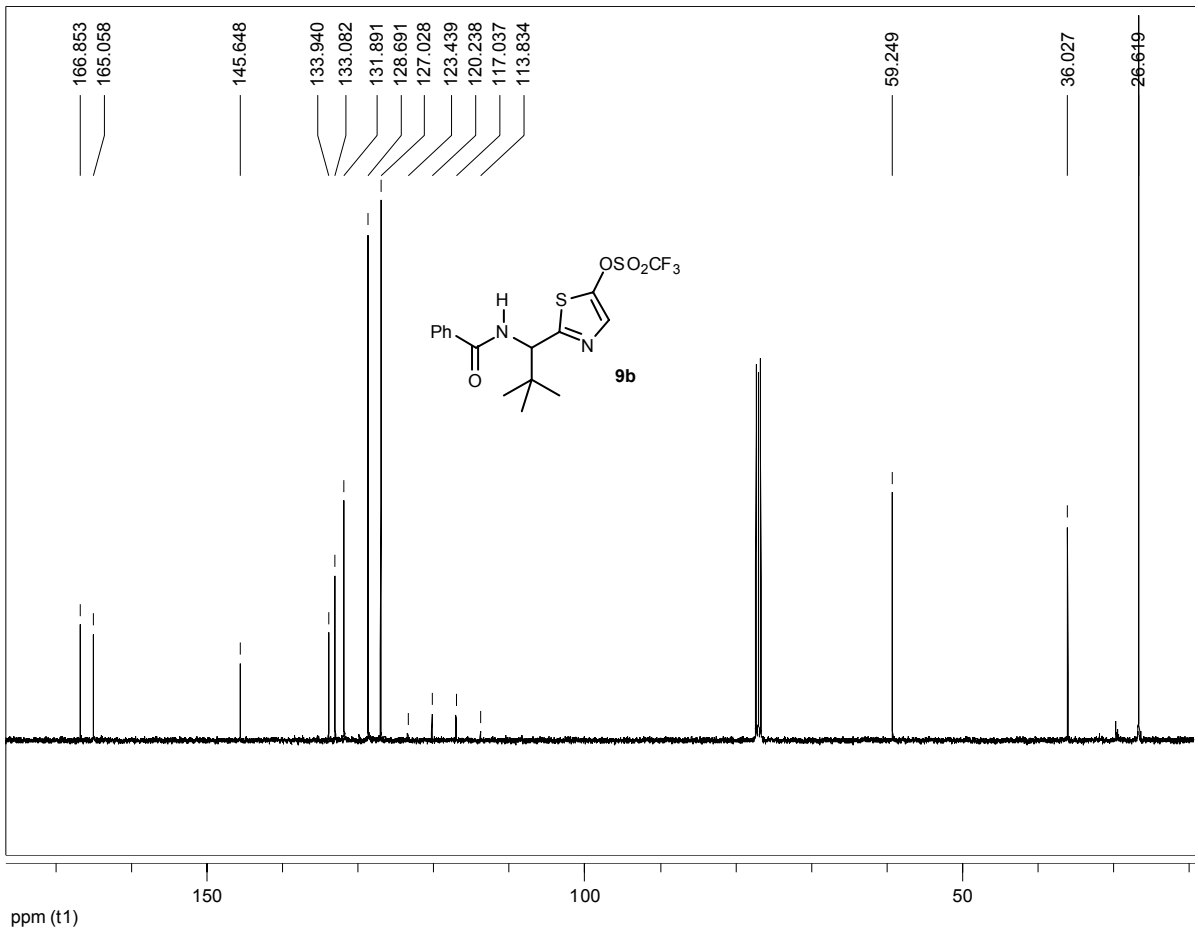




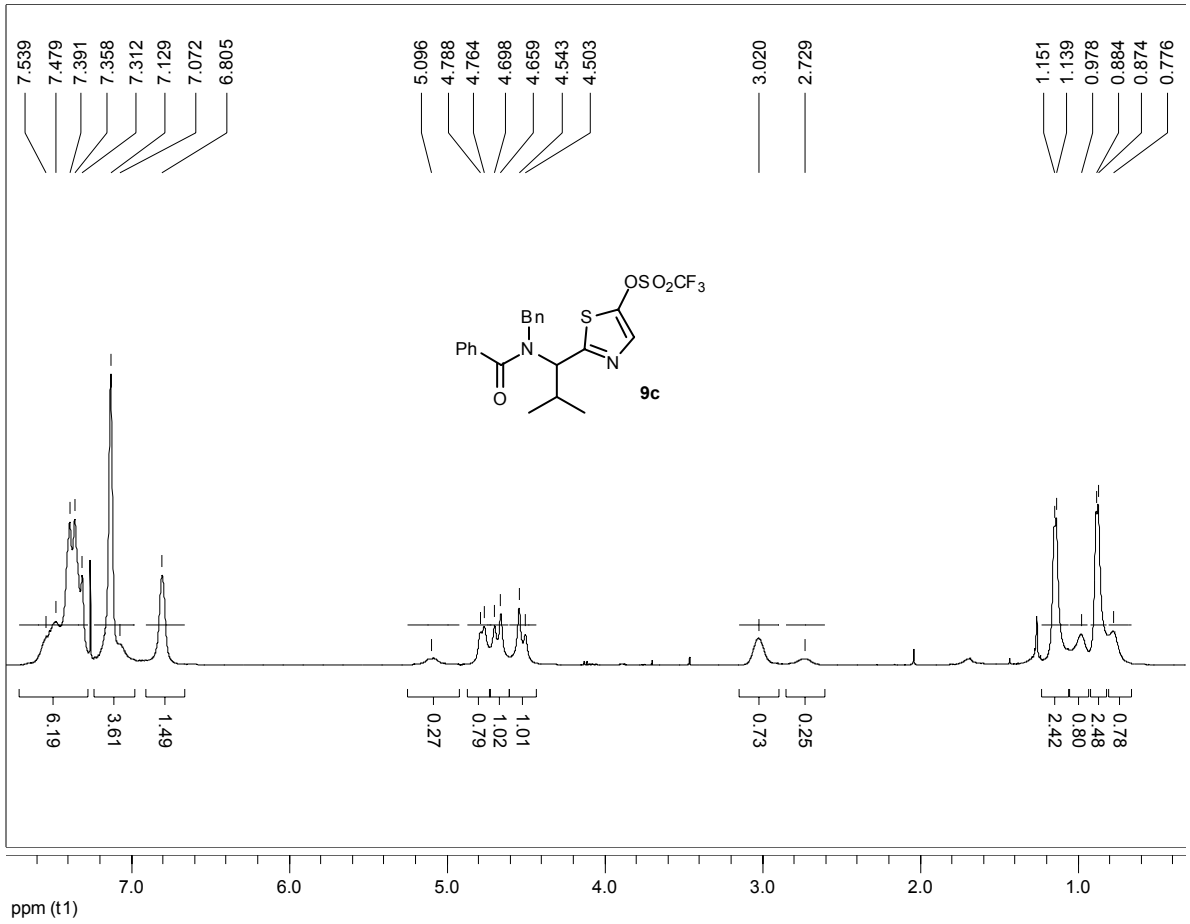


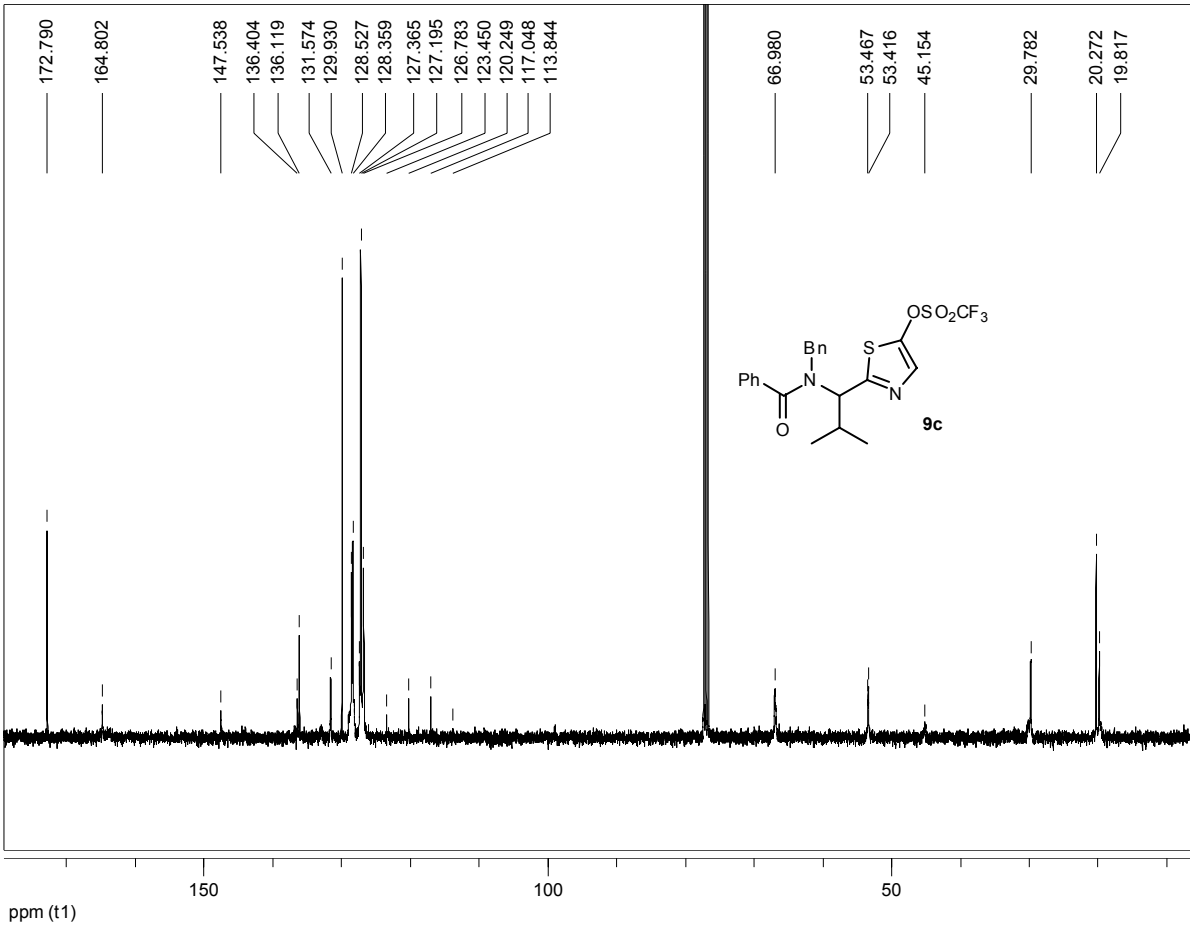
2-[1-(*N*-Benzoylamino)-2,2dimethylpropyl]-5-thiazolyl triflate (9b).



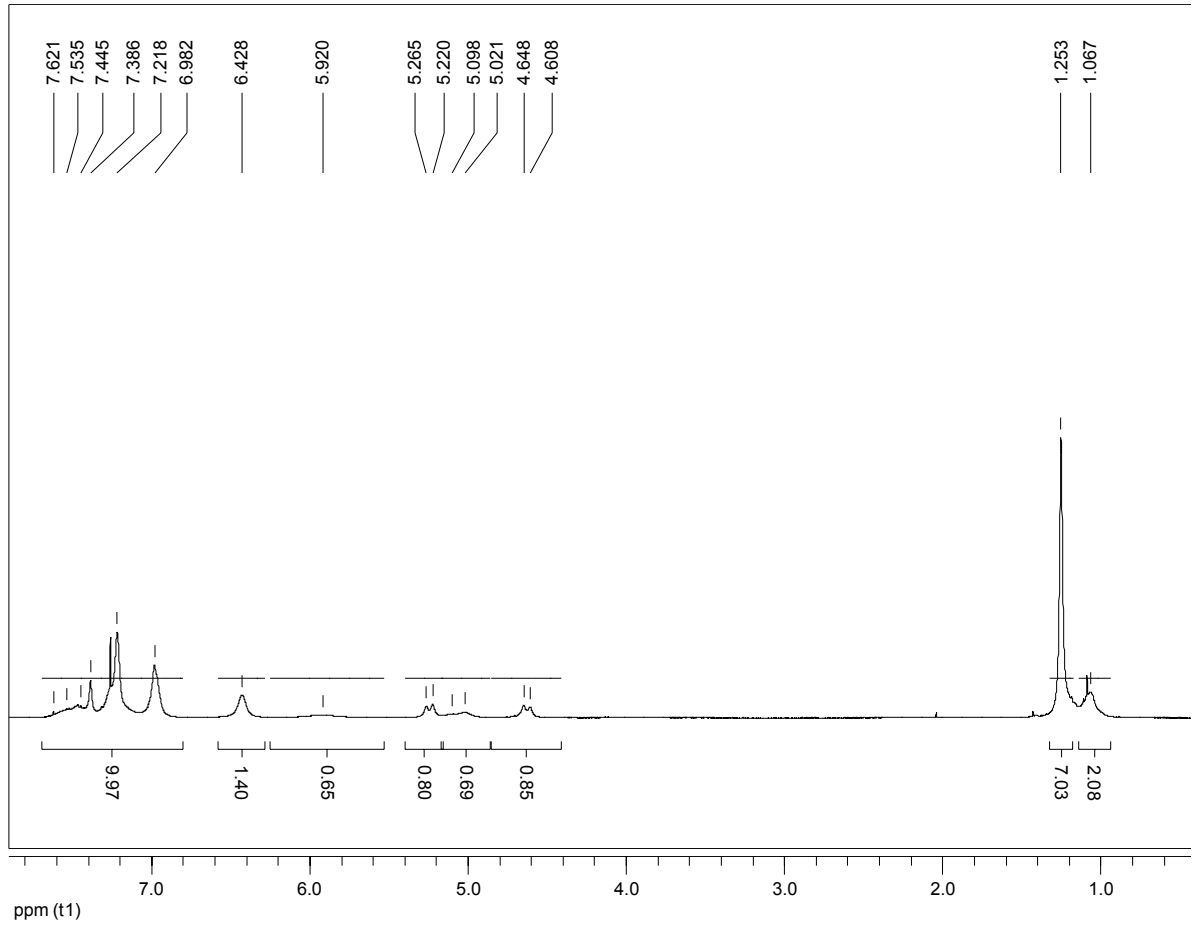


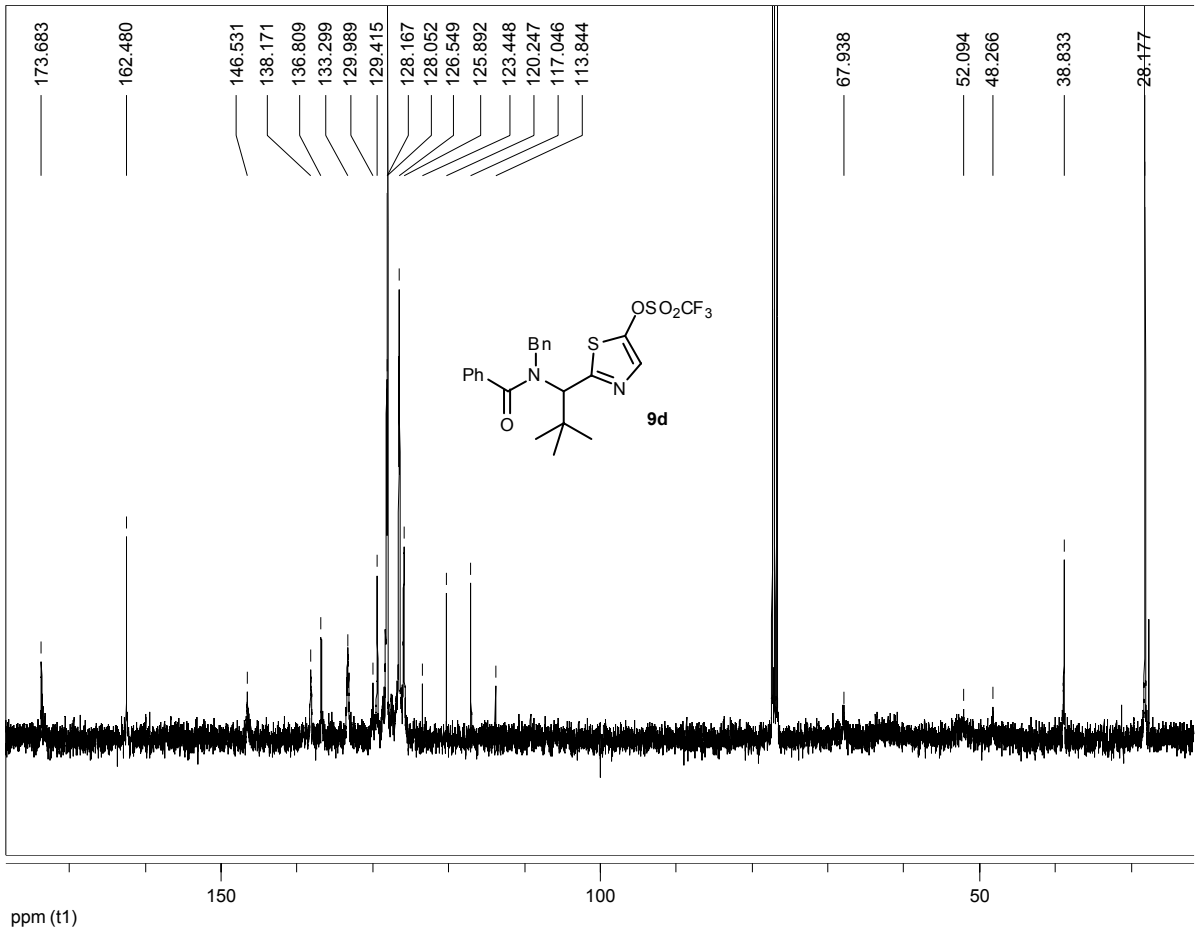
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-thiazolyl triflate (9c).



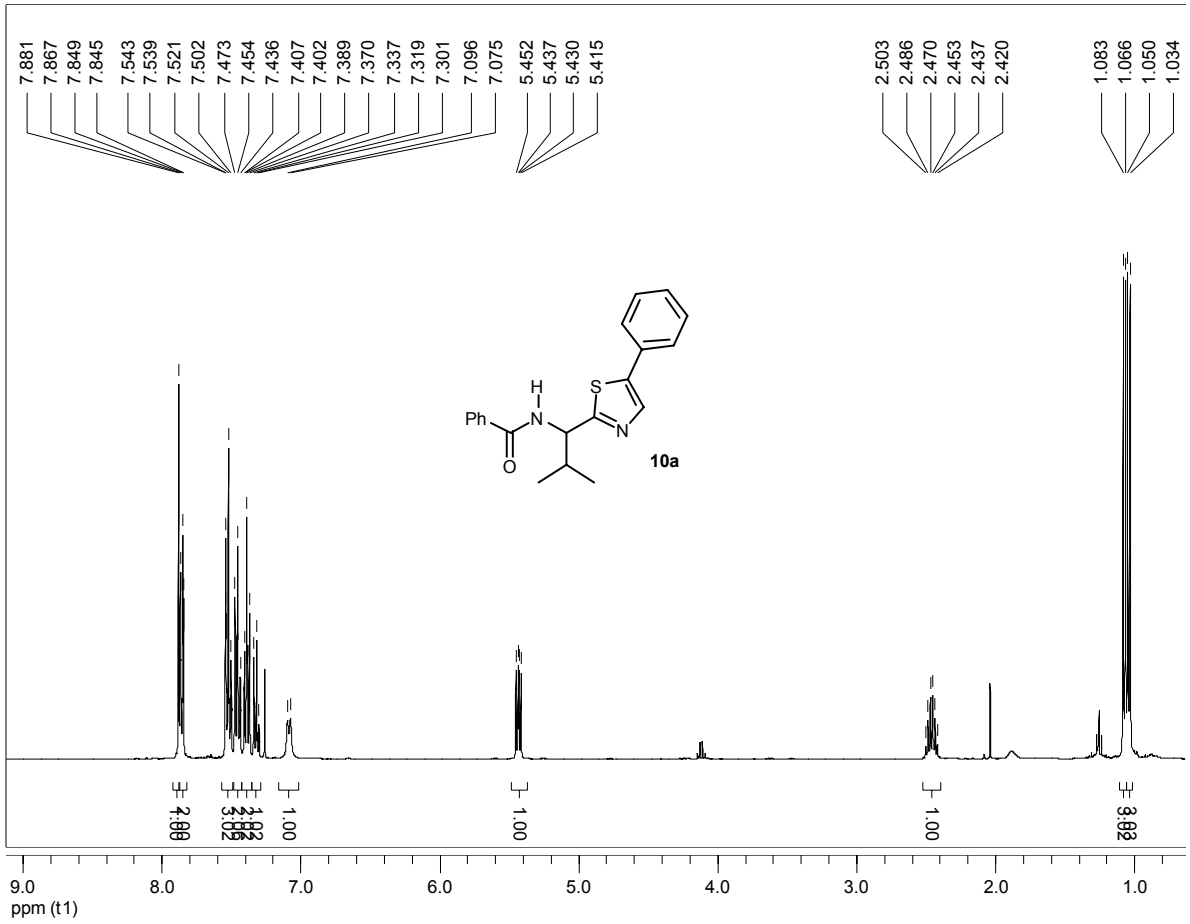


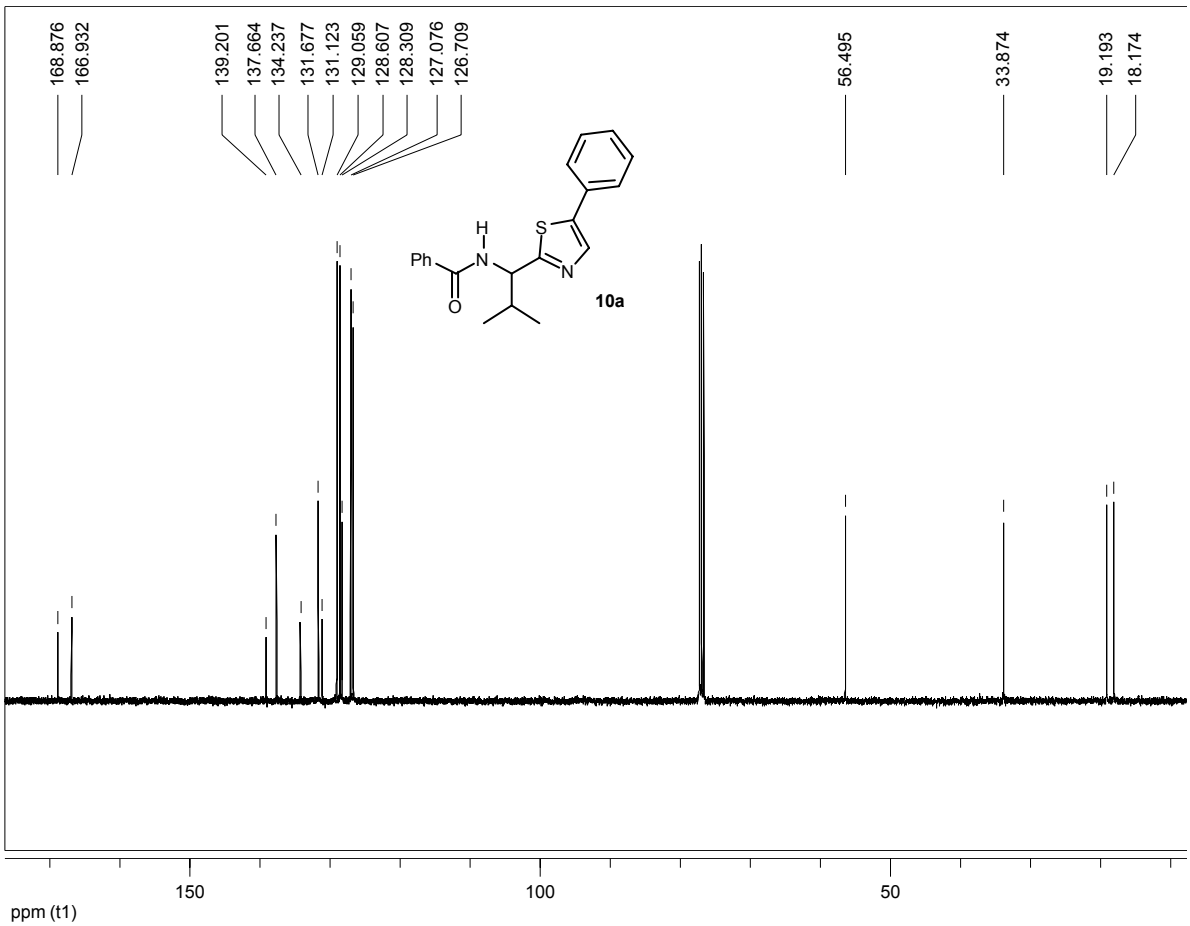
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-thiazolyl triflate (9d).



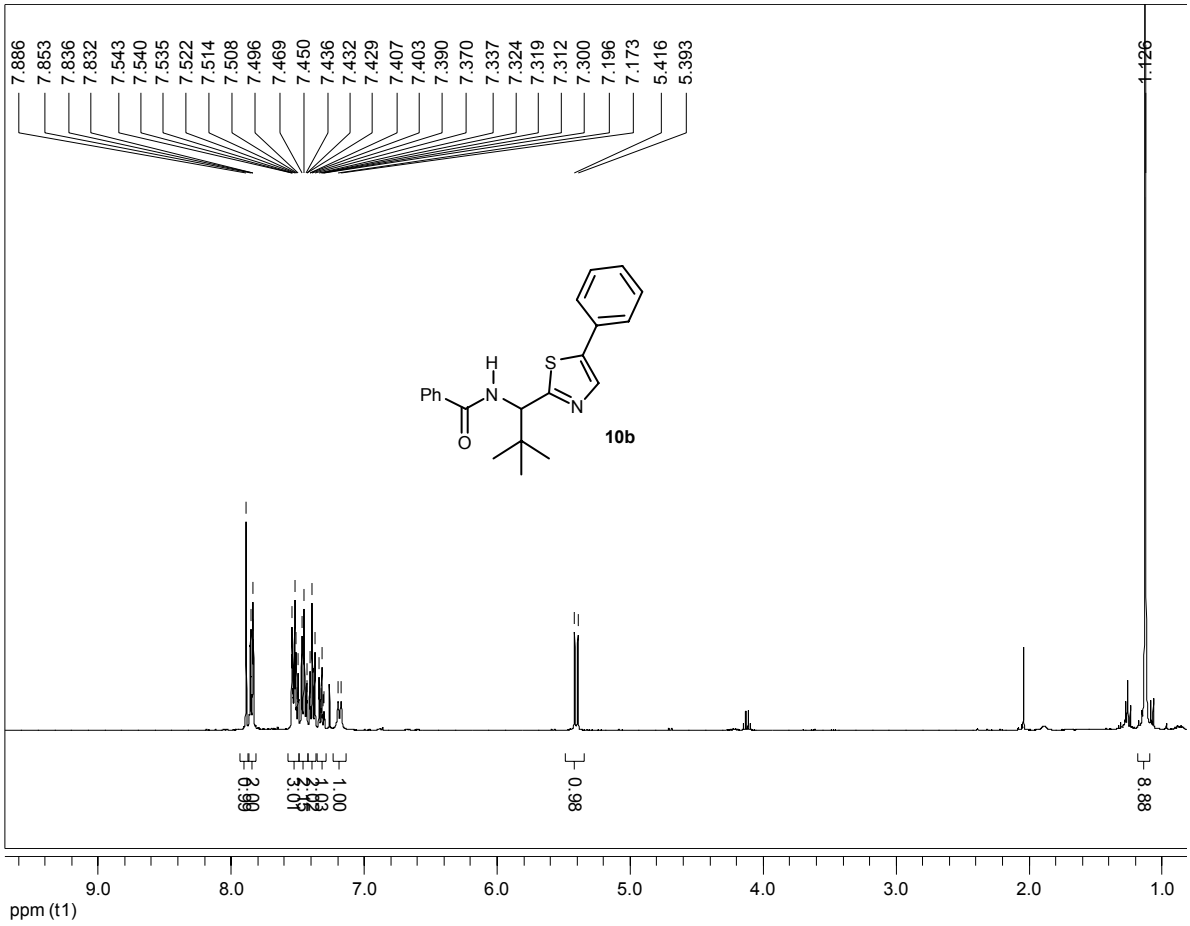


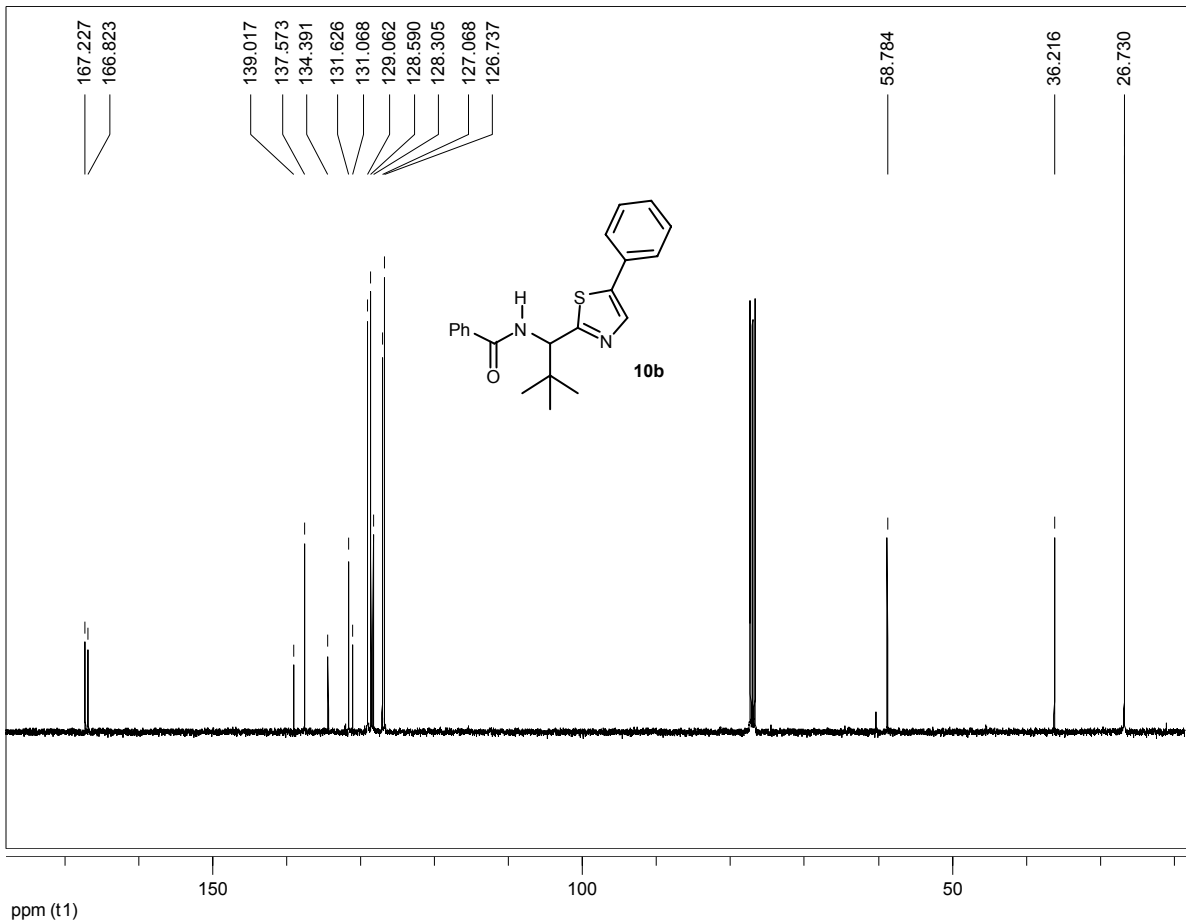
2-[1-(*N*-Benzoylamino)-2-methylpropyl]-5-phenyl thiazole (10a).



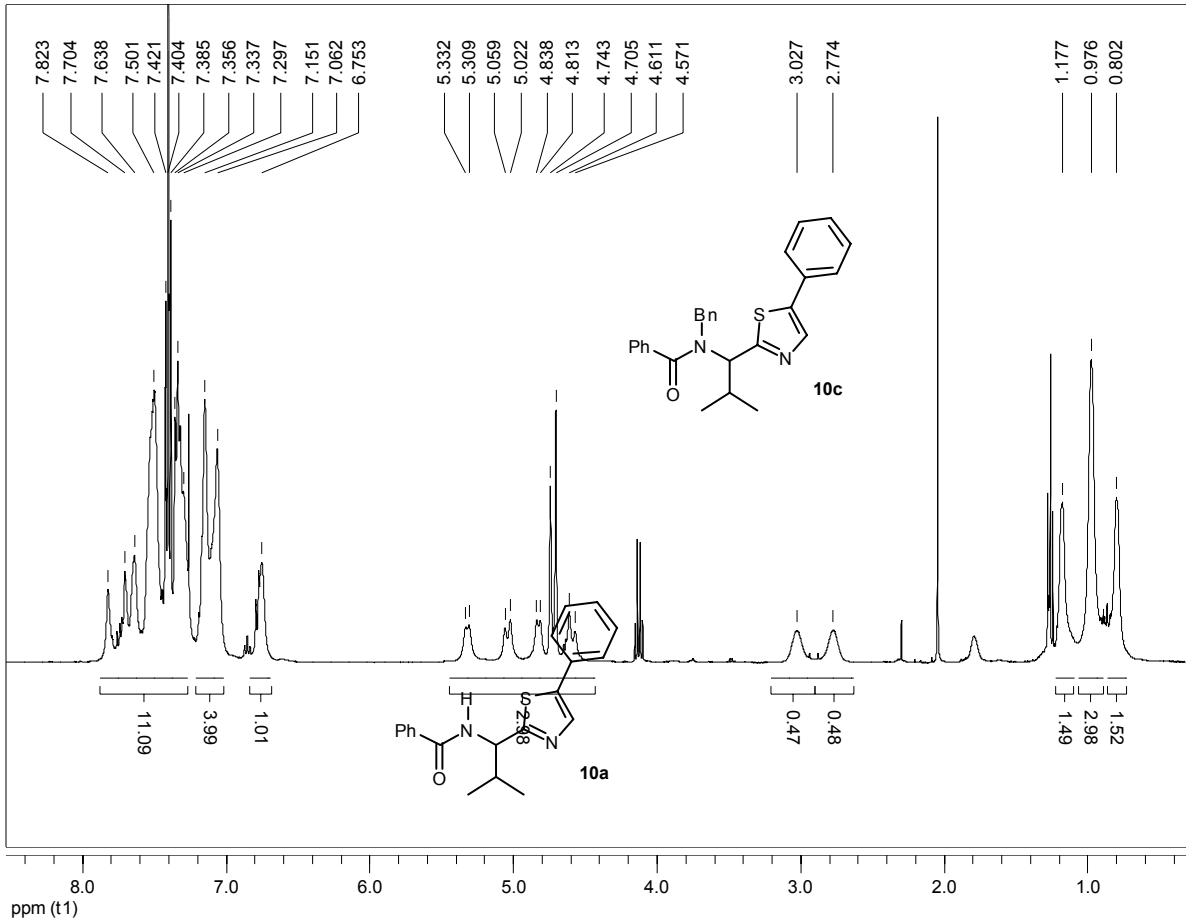


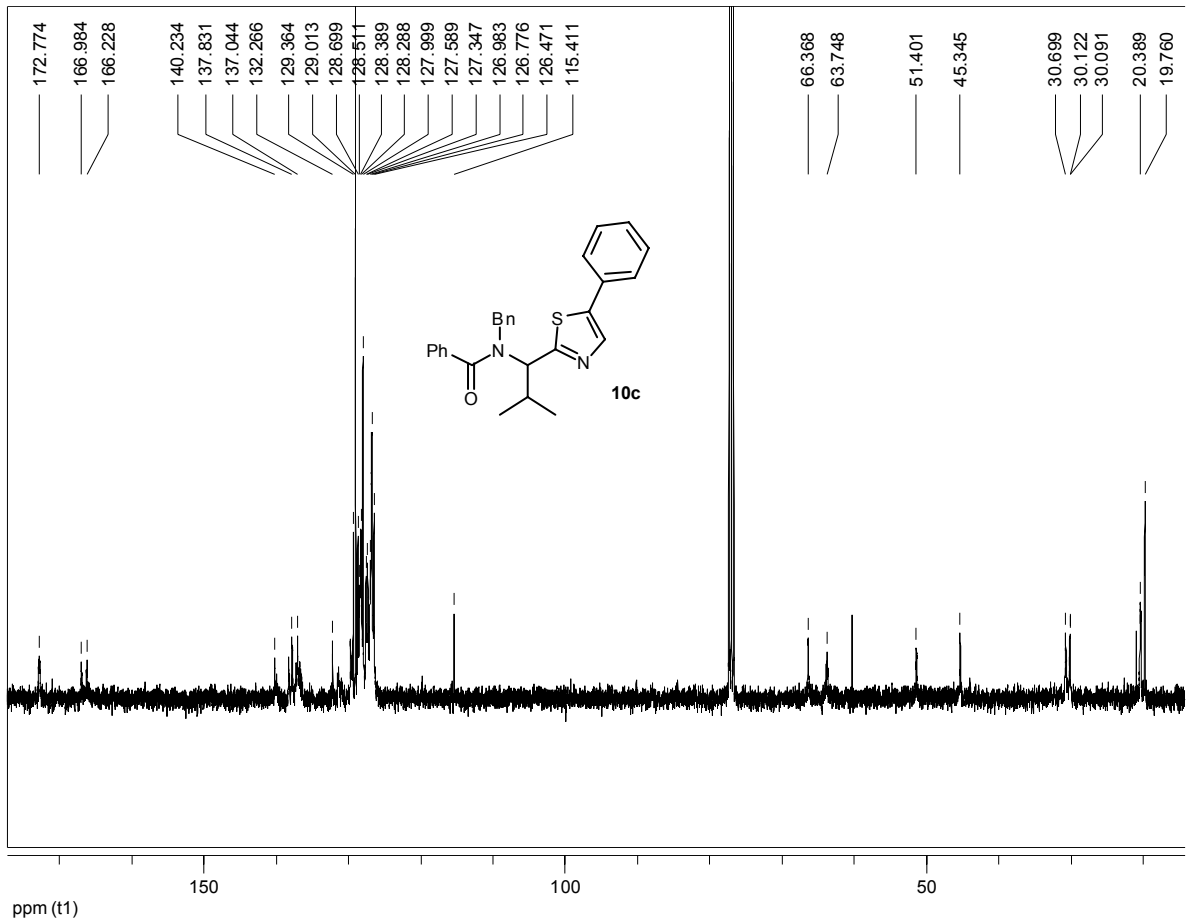
2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-phenyl thiazole (10b).



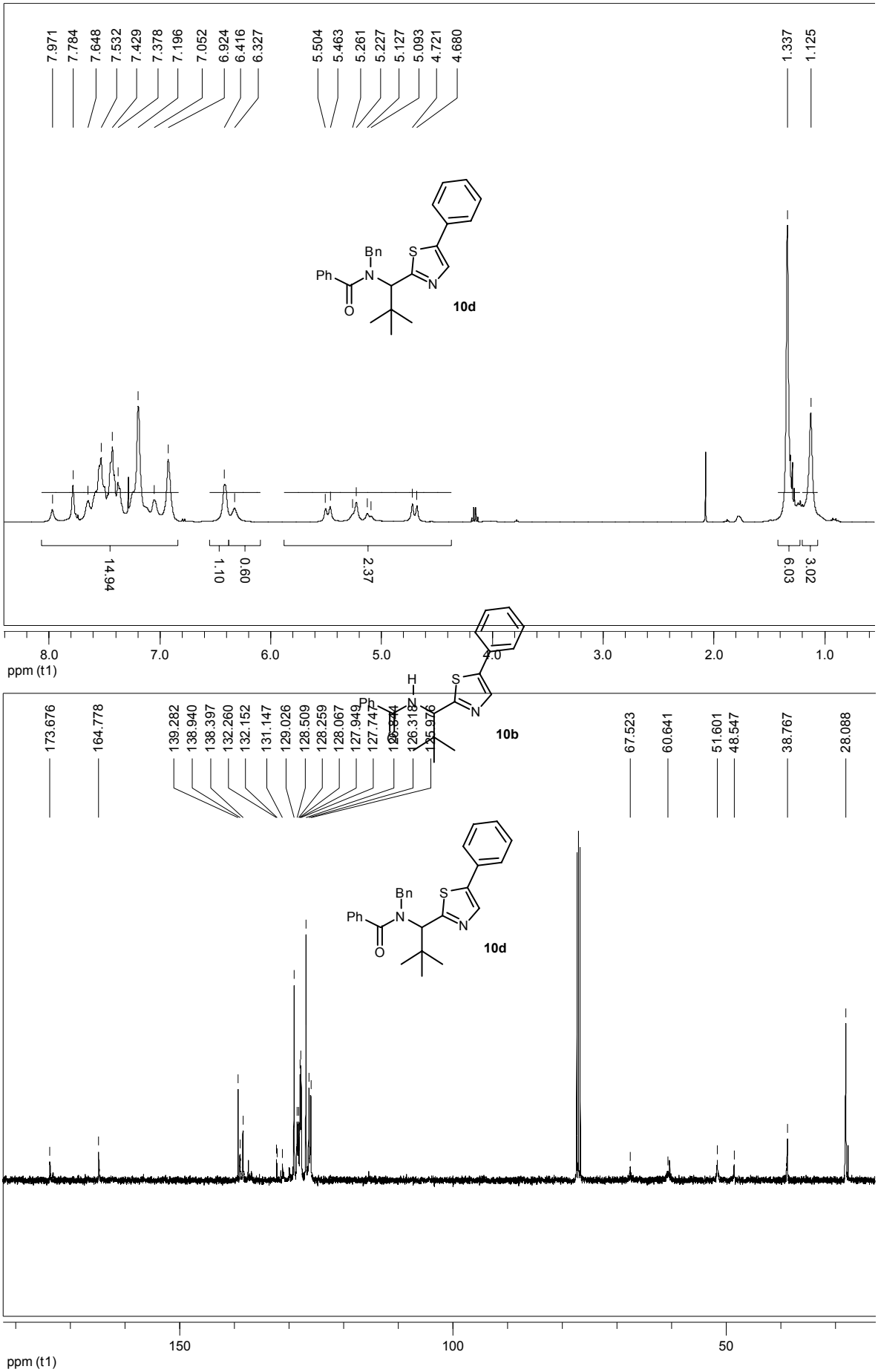


2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-phenyl thiazole (10c).

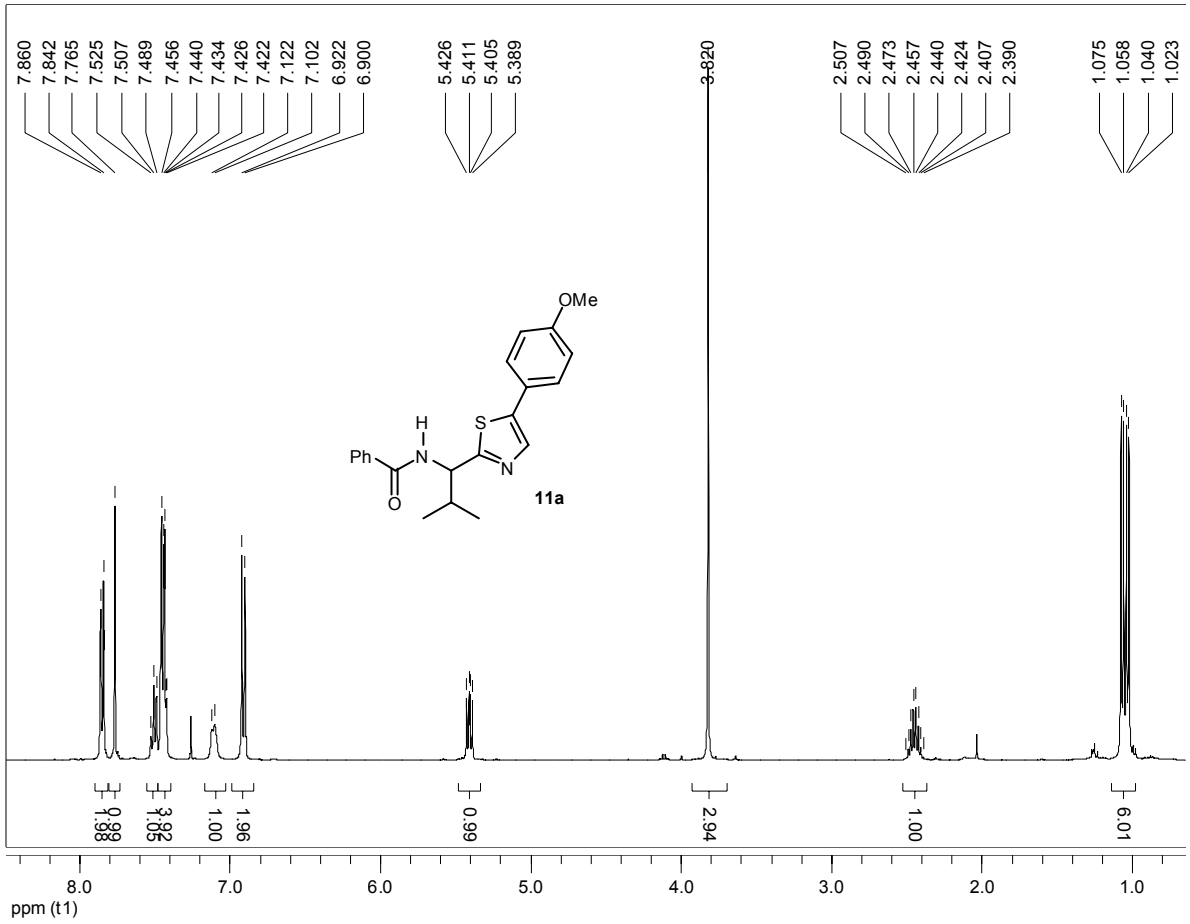


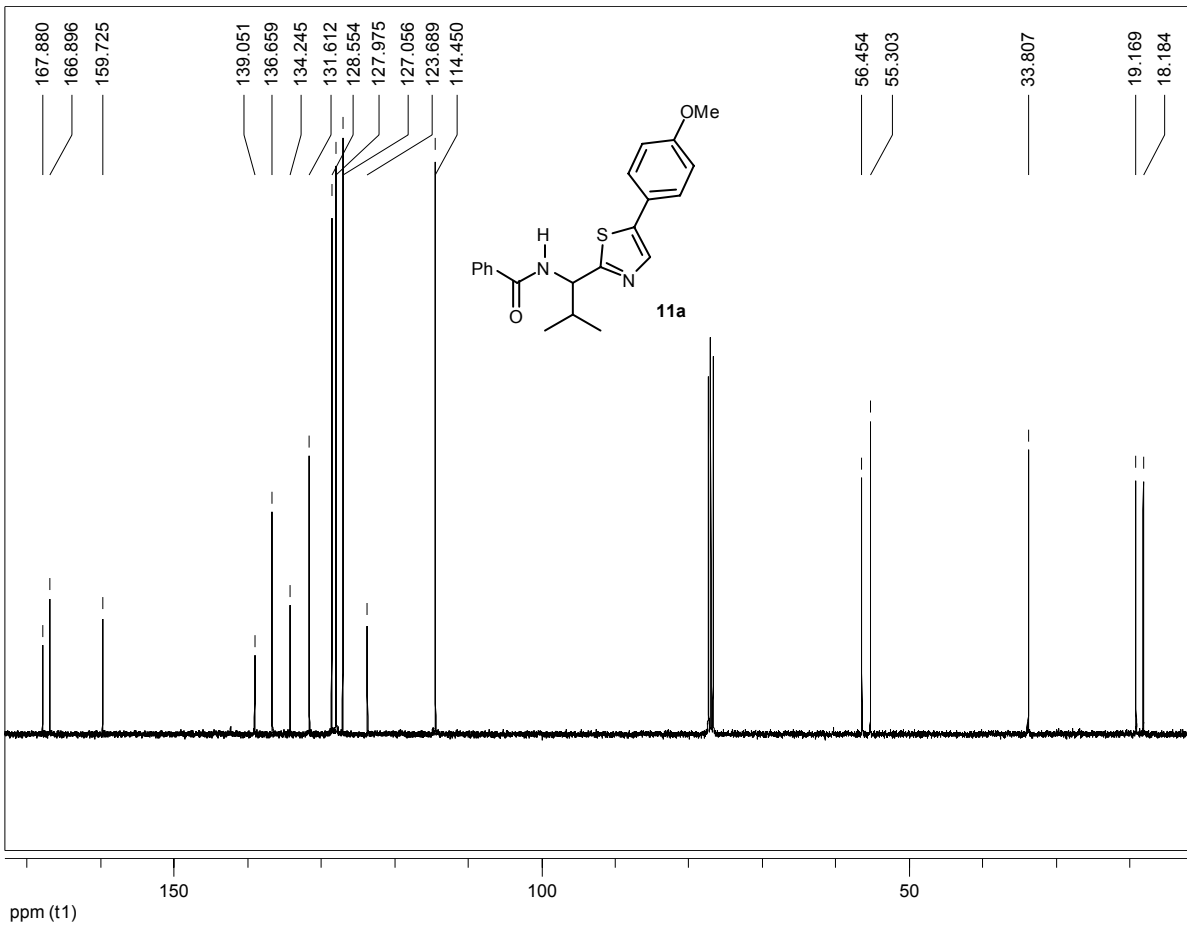


2-[1-(*N*-Benzoyl-*N*-benzylamino)-2,2-dimethylpropyl]-5-phenyl-thiazole (10d).

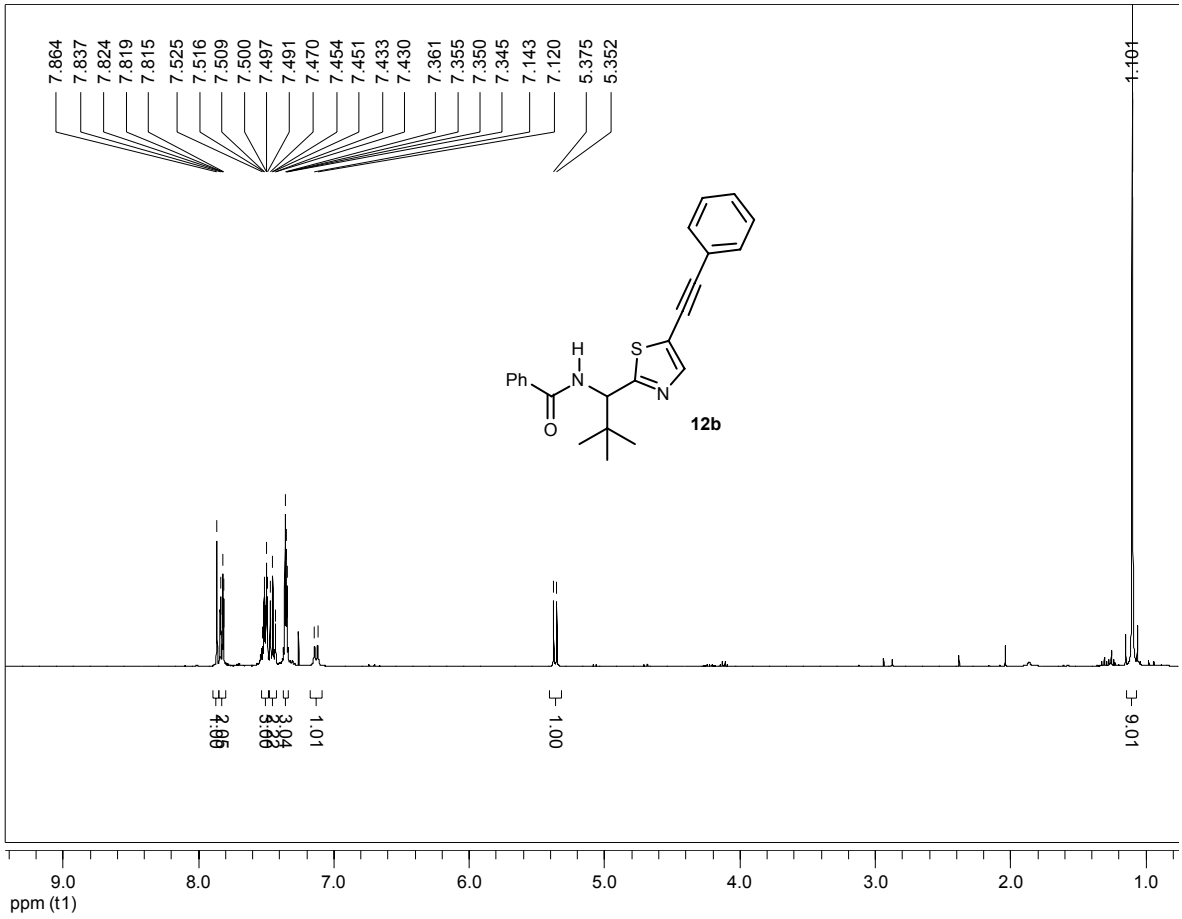


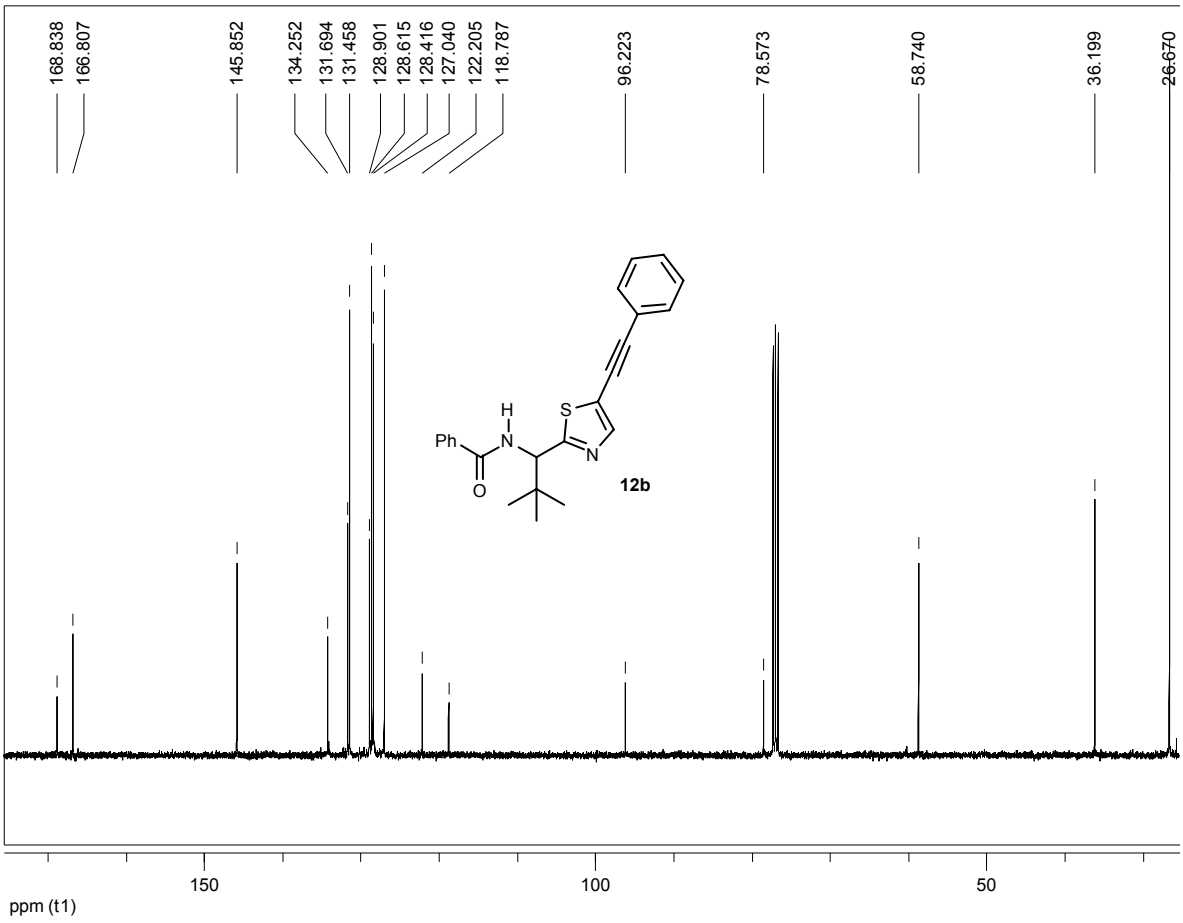
2-[1-(*N*-Benzoylamino)-2-methylpropyl]-5-(*p*-methoxyphenyl)-thiazole (11a).



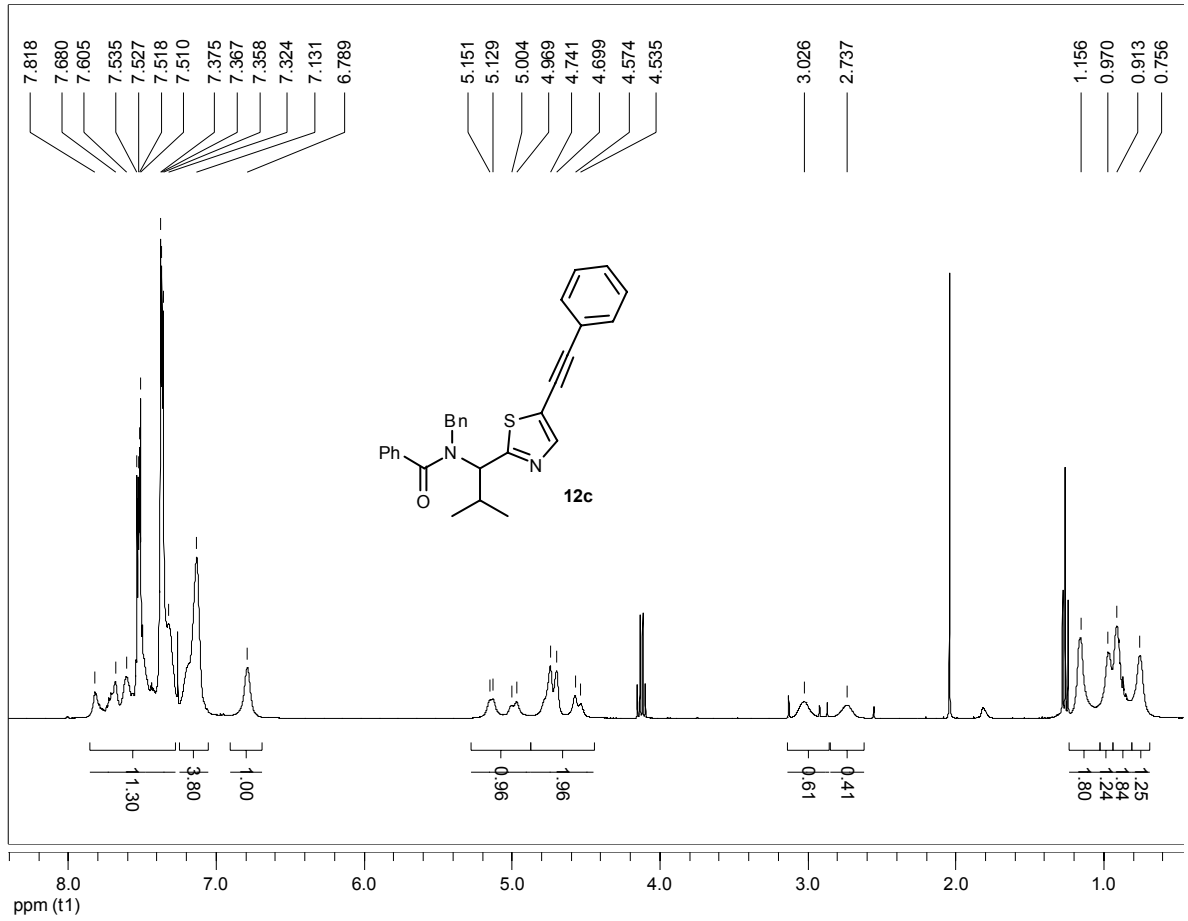


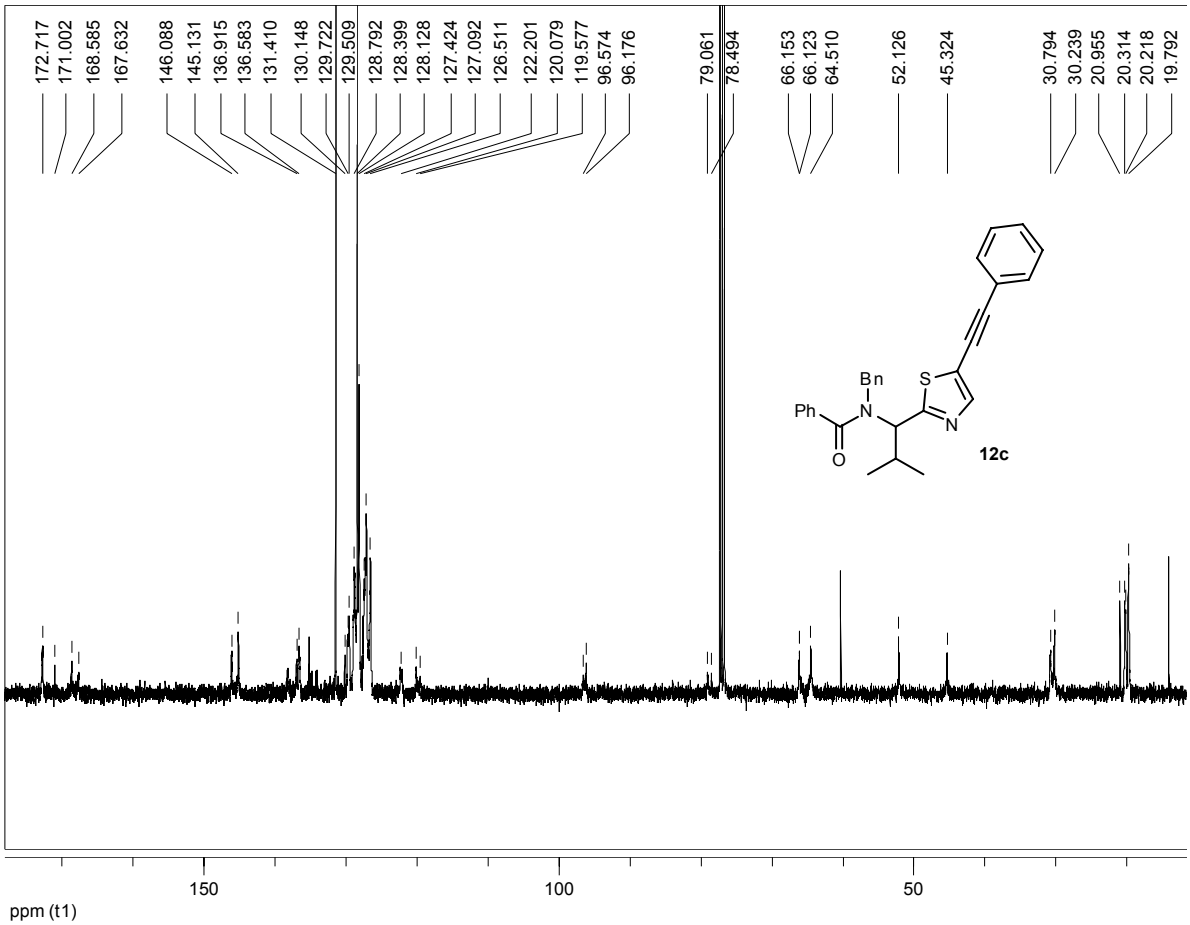
2-[1-(*N*-Benzoylamino)-2,2-dimethylpropyl]-5-phenylethinyl thiazole (12b).



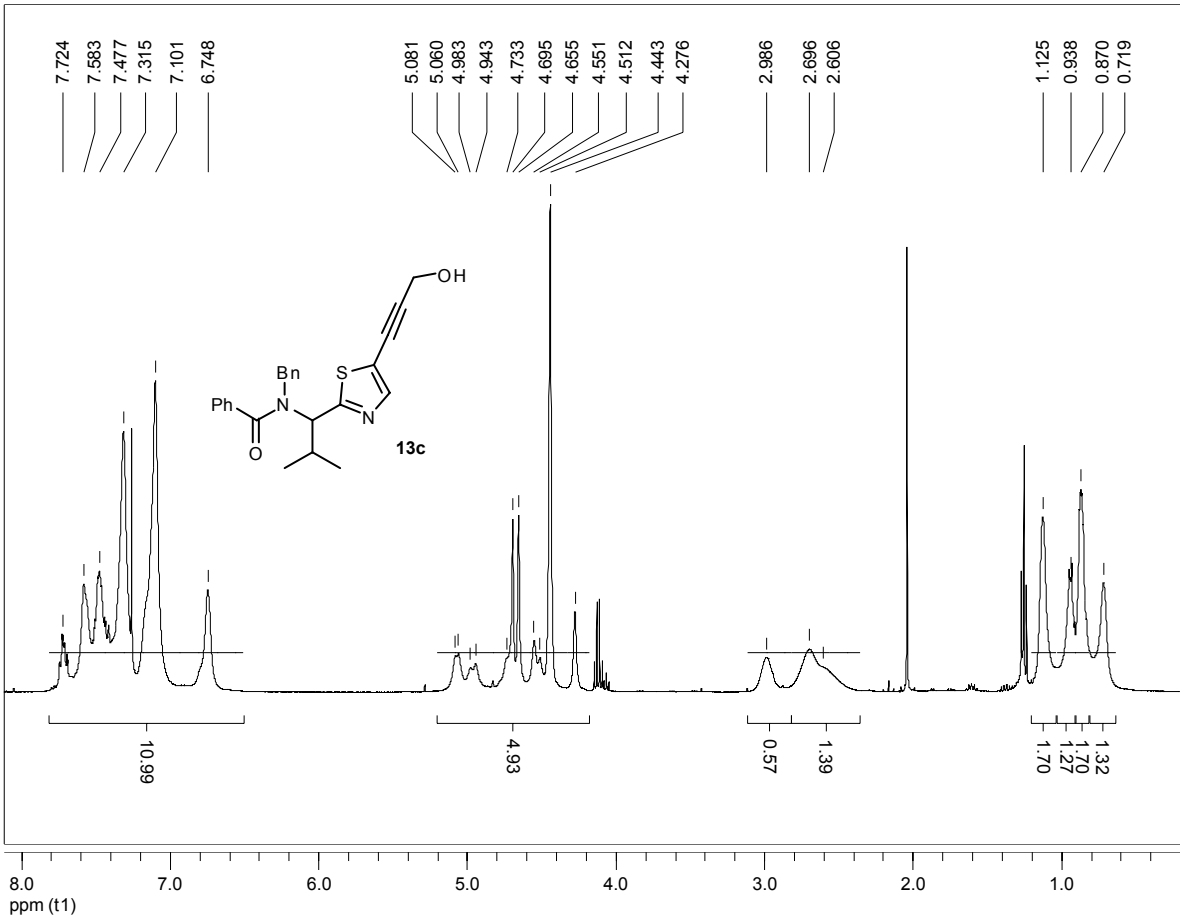


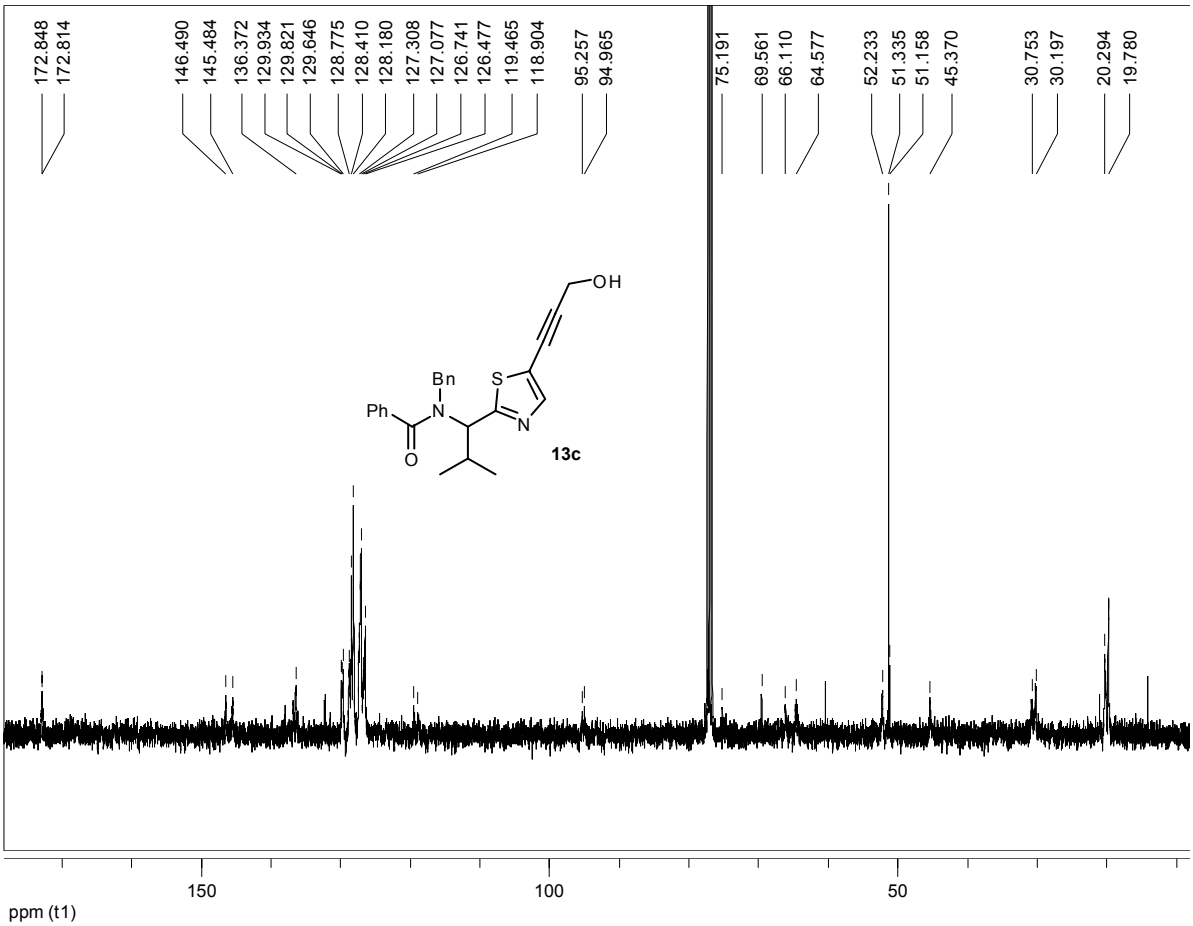
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-phenylethinyl-thiazole (12c).



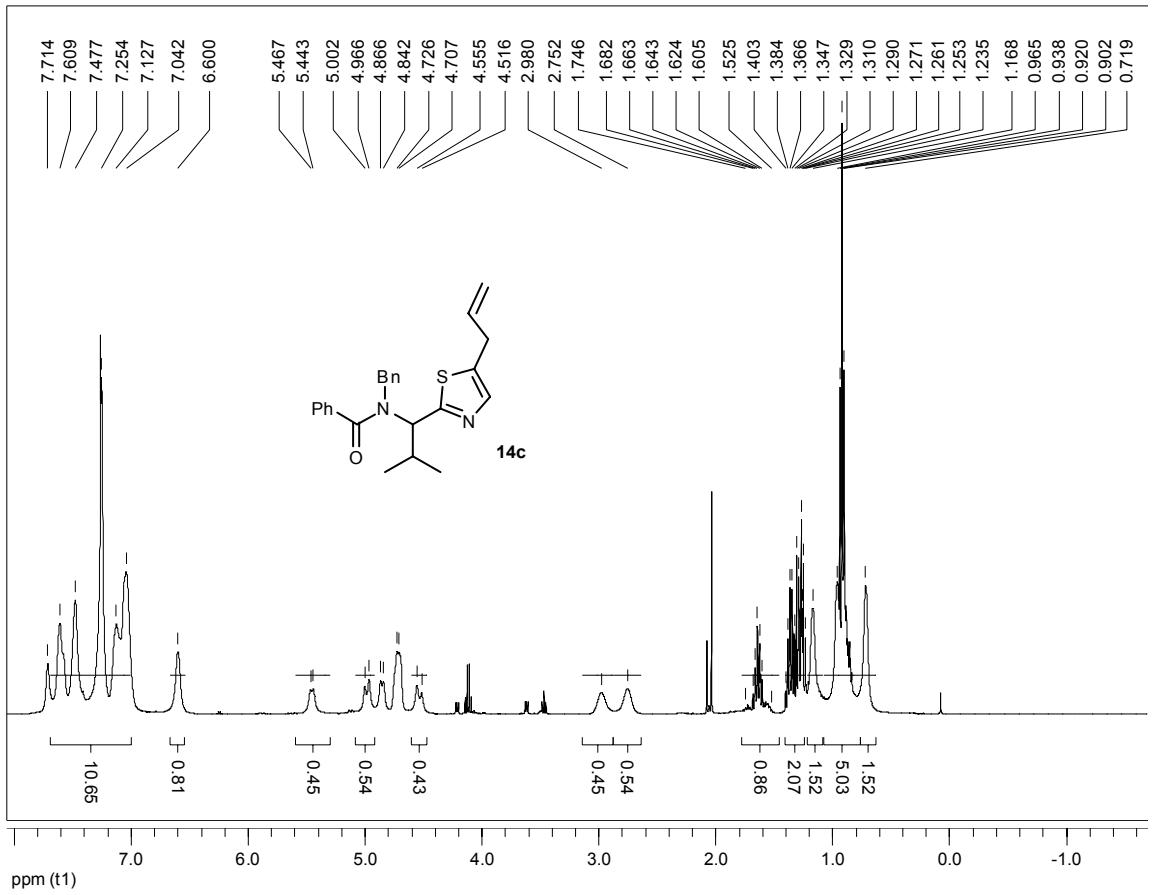


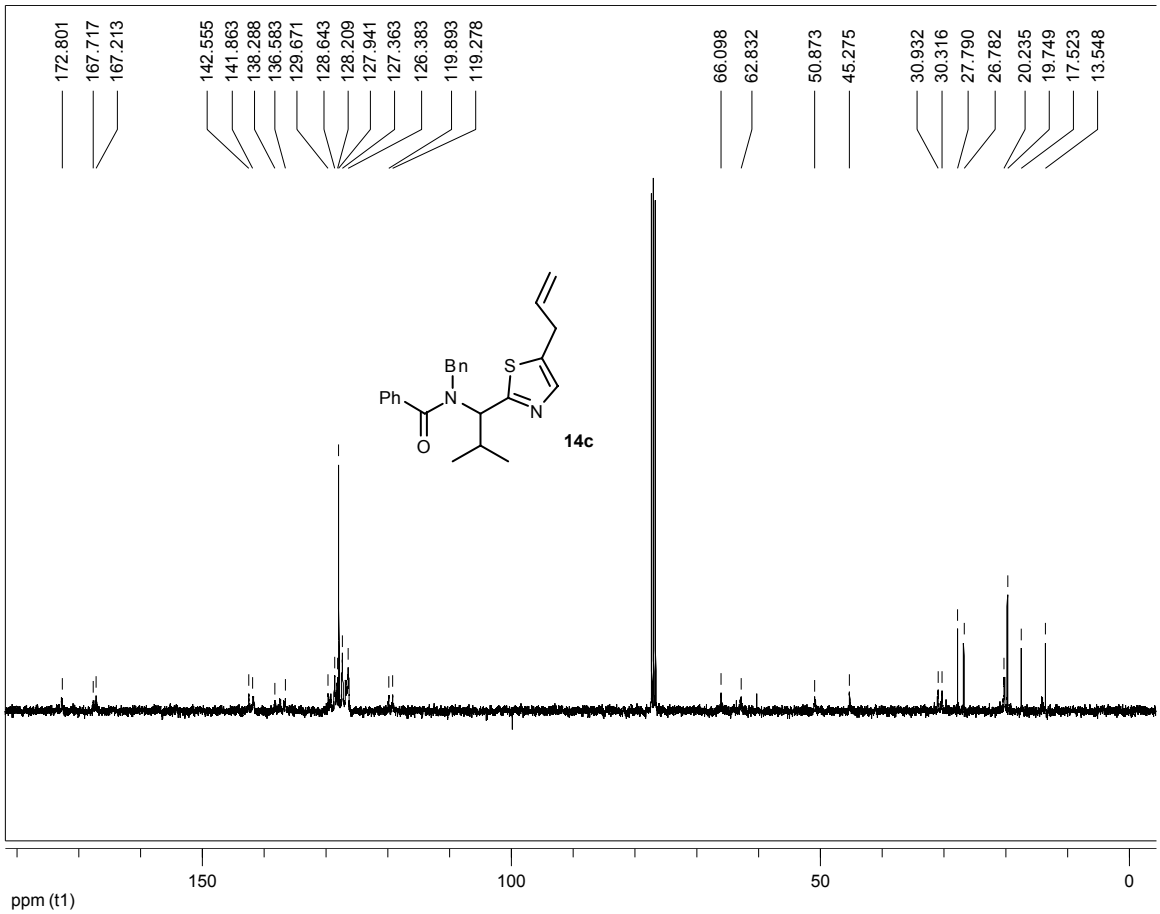
2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-(3-hydroxy-propinyl) thiazole (13c).





2-[1-(*N*-Benzoyl-*N*-benzylamino)-2-methylpropyl]-5-allyl-thiazole (14c).





2-[1-(*N*-Benzoylamino)-2-methylpropyl]-thiazole (15a).