Synthesis of benzofuro- and benzothieno[2,3-*c*]pyridines via copper-catalyzed [4 + 2] annulation of ketoxime acetates with acetoacetanilide

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Supporting Information

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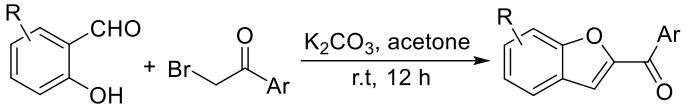
Experimental section

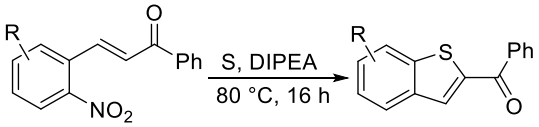
General

Unless otherwise noted, all experiments were performed under N_2 atmosphere. Commercial solvents and reagents were used without further purification. Thin-layer chromatography (TLC) was performed on silica gel plates (60F-254) using UV-light (254 nm). Flash chromatography was conducted on silica gel (200-300 mesh). NMR (400 MHz for ^{1}H NMR, 100 MHz for ^{13}C NMR) spectra were recorded in $CDCl_3$ or DMSO-d_6 with TMS as the internal standard. Chemical shifts are reported in ppm and coupling constants are given in Hz. Data for ^{1}H NMR are recorded as follows: chemical shift (ppm), multiplicity (s, singlet; d, doublet; t, triplet; q, quarter; m, multiplet), coupling constant (Hz), integration. Data for ^{13}C NMR are reported in terms of chemical shift (δ, ppm). High-resolution mass spectra (HRMS) were obtained on an Agilent mass spectrometer using ESI-TOF (electrospray ionization-time of flight).

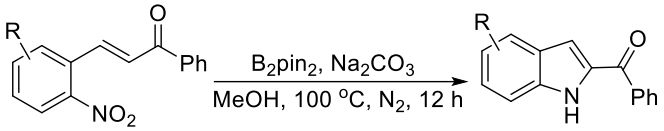
General procedure for the synthesis of ketoxime acetates



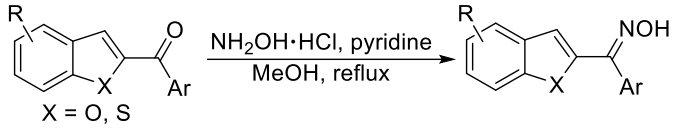
To a solution of α-bromoacetophenone (20 mmol, 1.0 equiv) in acetone (40 mL) was added salicylaldehyde (20 mmol, 1.0 equiv) and K_2CO_3 (30 mmol, 1.5 equiv) at room temperature. The solution was allowed to stir for 12 h. Upon completion of the reaction as indicated by TLC, the resulting mixture was filtered and washed with acetone (3 × 20 mL). The filtrate was concentrated, and the residue was chromatographed on silica gel (Petroleum Ether/EtOAc) to afford the product.[1]



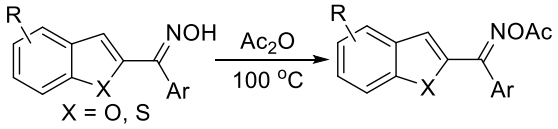
2-Nitrochalcone (10 mmol, 1.0 equiv), S (50 mmol, 5.0 equiv) were loaded into a 100 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The Schlenk tube was placed under vacuum for 1 min and then N_2 was pumped into it. The DIPEA (50 mmol, 5.0 equiv) was added into the Schlenk tube by syringe. The reaction mixture was stirred at 80 ^{o}C for 16 h. After completion of the reaction (detected by TLC), the reaction tube was allowed to cool to room temperature and the reaction solution was concentrated under vacuum. The crude product was purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the product.[2]



2-Nitrochalcone (10 mmol, 1.0 equiv), B_2pin_2 (20 mmol, 2.0 equiv) and Na_2CO_3 (25 mmol, 2.5 equiv) were loaded into a 100 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The Schlenk tube was placed under vacuum for 1 min and then N_2 was pumped into it. MeOH (75 mL) was added into the Schlenk tube by syringe. The reaction mixture was stirred at 100 ^{o}C for 12 h. After completion of the reaction (detected by TLC), the reaction tube was allowed to cool to room temperature and the reaction solution was concentrated under vacuum. The crude product was purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the product.[3]

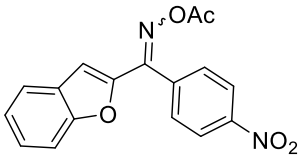


A mixture of ketone (15 mmol, 1.0 equiv), pyridine (45 mmol, 3.0 equiv) and powdered hydroxylamine hydrochloride (45 mmol, 3.0 equiv) in methanol (60 mL) was heated to reflux in an oil bath for 12 h. The solvent was removed by rotatory evaporation at reduced pressure, diluted with EtOAc (50 mL × 2) and washed with brine (40 mL). The organic layer was dried over anhydrous Na_2SO_4 and evaporated in vacuo to afford crude ketoxime, which was used without further purification.[4]



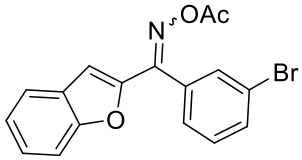
The mixture of crude ketoxime (10 mmol) and acetic anhydride (20 mmol) was stirred at 100 °C for 3 h. Upon completion of the reaction as indicated by TLC, the reaction mixture was diluted with EtOAc, washed with H_2O, neutralized with $NaHCO_3$, dried over with anhydrous Na_2SO_4 and evaporated in vacuum. The crude residue was purified by column chromatography on silica gel with petroleum ether/ethyl acetate as eluent to afford product **1**.[5] Ketoxime acetates **1a**–**b, 1d**–**e, 1o, 1bb, 1ib, 1x** and **1aa** were all known compounds and synthesized according to the above procedures, while other ketoxime acetates were prepared for the first time whose characterization data were presented.

Benzofuran-2-yl(4-nitrophenyl)methanone O-acetyl oxime (1c)



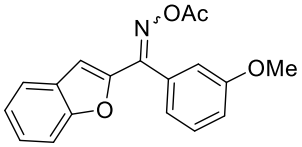
Solid in 15% yield; mp 232–233 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.40 (d, *J* = 8.4 Hz, 2H), 7.87 (d, *J* = 8.5 Hz, 1H), 7.58 (d, *J* = 18.0 Hz, 2H), 7.38−7.48 (m, 3H), 6.83 (s, 1H), 2.12 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.5, 156.0, 153.9, 152.0, 148.6, 136.8, 130.8, 129.8, 127.6, 123.8, 123.5, 122.1, 114.4, 112.3, 19.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}N_2O_5$ $[M+H]^+$ 325.0824, found 325.0765.

Benzofuran-2-yl(3-bromophenyl)methanone O-acetyl oxime (1f)



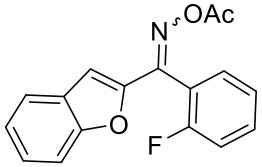
Solid in 78% yield; mp 96–98 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.64–7.68 (m, 2H), 7.56–7.60 (m, 3H), 7.39–7.42 (m, 3H), 6.82 (s, 1H), 2.13 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9, 156.0, 154.4, 149.3, 133.2, 132.4, 131.4, 130.0, 128.4, 127.5, 127.3, 123.6, 122.4, 122.0, 114.5, 112.3, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}BrNO_3$ $[M+H]^+$ 360.0079, found 360.0012.

Benzofuran-2-yl(3-methoxyphenyl)methanone O-acetyl oxime (1g)



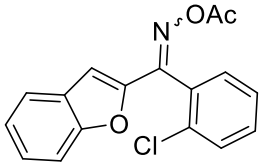
Solid in 80% yield; mp 128–130 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.58–7.63 (m, 1H) , 7.47–7.54 (m, 1H) , 7.21–7.42 (m, 4H) , 7.01–7.07 (m, 2H), 6.97 (s, 0.5H) , 6.82 (s, 0.5H) , 3.84 (s, 3H), 2.37 (s, 1.2H), 2.12 (s, 1.8H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.1, 159.4, 155.8, 154.5, 149.9, 131.7, 129.5, 127.4, 127.1, 123.5, 122.2, 120.9, 116.4, 115.6, 114.5, 112.0, 55.4, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_4$ $[M+H]^+$ 310.1079, found 310.0993.

Benzofuran-2-yl(2-fluorophenyl)methanone O-acetyl oxime (1h)



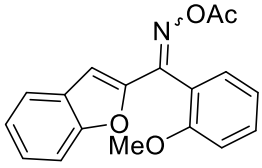
Solid in 81% yield; mp 136–138 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.52–7.60 (m, 3H), 7.35–7.42 (m, 2H), 7.22–7.32 (m, 3H), 6.84 (s, 1H), 2.13 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ167.84, 159.26 (d, *J* = 251.9 Hz), 156.0, 150.9, 149.2, 132.2 (d, *J* = 8.1 Hz), 129.90 (d, *J* = 3.0 Hz), 127.4, 127.1, 124.1 (d, *J* = 3.5Hz), 123.6, 121.9, 118.6 (d, *J* = 17.1 Hz), 116.1 (d, *J* = 21.1 Hz), 113.9, 112.3, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}FNO_3$ $[M+H]^+$ 298.0879, found 298.0884.

Benzofuran-2-yl(2-chlorophenyl)methanone O-acetyl oxime (1i)



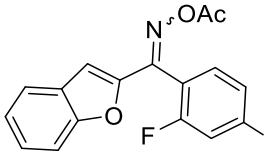
Solid in 77% yield; mp 183–185 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.58 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 14.5 Hz, 2H), 7.47 (d, *J* = 15.3 Hz, 1H), 7.36–7.43 (m, 2H), 7.33 (d, *J* = 7.5 Hz, 1H), 7.23 (d, *J* = 15.1 Hz, 1H), 6.72 (s, 1H), 2.09 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9, 156.0, 153.3, 149.0, 132.5, 131.2, 130.5, 129.8, 129.4, 127.4, 127.2, 126.8, 123.6, 121.9, 114.0 , 112.2, 19.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}ClNO_3$ $[M+H]^+$ 314.0584, found 314.0506.

Benzofuran-2-yl(2-methoxyphenyl)methanone O-acetyl oxime (1j)



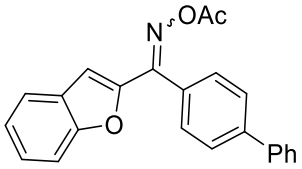
Solid in 78% yield; mp 108–109 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (d, *J* = 7.5 Hz, 2H), 7.48 (d, *J* = 16.2 Hz, 2H), 7.37 (d, *J* = 17.1 Hz, 2H), 7.22–7.29 (m, 2H), 6.98 (d, J = 8.0 Hz, 1H), 3.66 (s, 3H), 2.39 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 158.4, 154.3, 152.4, 145.7, 131.6, 131.0, 129.3, 127.9, 127.0, 123.5, 122.5, 120.6, 115.6, 111.9, 111.3, 55.8, 20.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_4$ $[M+H]^+$ 310.1079, found 310.1106.

Benzofuran-2-yl(2,4-difluorophenyl)methanone O-acetyl oxime (1k)



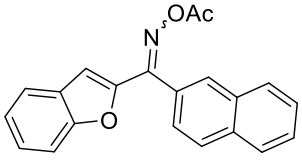
Solid in 76% yield; mp 177–179 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56–7.59 (m, 2H), 7.36–7.42 (m, 3H), 7.00–7.05 (m, 2H), 6.86 (s, 1H), 2.13 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.7, 164.7 (dd, *J* = 254.5, 11.1Hz), 159.8 (dd, *J* = 255.5, 12.1Hz), 156.0, 150.0, 149.0, 144.4, 131.1 (dd, *J* = 10.1, 4.0 Hz), 127.6, 127.3, 123.6, 122.0, 115.0 (dd, *J* = 17.2, 4.0 Hz), 113.8, 111.8 (dd, *J* = 22.2, 4.0 Hz), 104.7 (t, *J* = 18.2 Hz), 19.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}F_2NO_3$ $[M+H]^+$ 316.0785, found 316.0760.

[1,1'-Biphenyl]-4-yl(benzofuran-2-yl)methanone O-acetyl oxime (1l)



Solid in 77% yield; mp 141–143 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 (d, *J* = 18.1 Hz, 3H), 7.63–7.70 (m, 5H), 7.38–7.50 (m, 5H), 7.32 (d, *J* = 14.9 Hz, 1H), 2.38 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 154.5, 153.7, 144.9, 143.5, 140.3, 131.8, 130.1, 128.9, 127.9, 127.5, 127.3, 127.2, 127.1, 123.8, 122.5, 116.4, 112.0, 20.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{23}H_{18}NO_3$ $[M+H]^+$ 356.1287, found 356.1367.

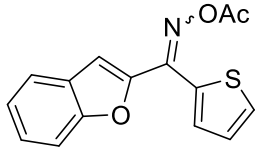
Benzofuran-2-yl(naphthalen-2-yl)methanone O-acetyl oxime (1m)



Solid in 76% yield; mp 116–117 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (s, 1H), 7.90 (d, *J* = 15.9 Hz, 3H), 7.74 (d, *J* = 14.7 Hz, 2H), 7.65 (s, 1H), 7.47–7.60 (m, 3H), 7.42 (d, *J* = 15.4 Hz, 1H), 7.32 (d, *J* = 15.7 Hz, 1H), 2.38 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-

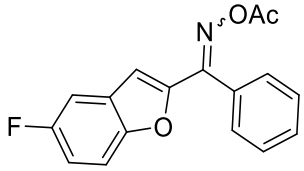
d) δ 168.6, 154.6, 154.2, 145.1, 134.3, 132.8, 130.3, 130.0, 128.8, 128.1, 127.8, 127.5, 127.4, 127.2, 126.6, 126.2, 123.8, 122.5, 116.3, 112.0, 20.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{21}H_{16}NO_3$ $[M+H]^+$ 330.1130, found 330.1135.

Benzofuran-2-yl(thiophen-2-yl)methanone O-acetyl oxime (1n)



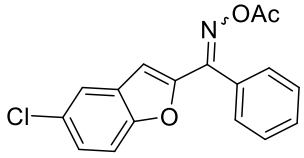
Oil in 79% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.54–7.73 (m, 4H), 7.25–7.50 (m, 3H), 7.13–7.19 (m, 1H), 2.34 (s, 2.5H), 2.13(s, 0.5H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.6, 155.6, 149.2, 144.2, 134.3, 132.5, 129.6, 127.2, 126.6, 123.6, 122.0, 115.8, 111.9, 109.0, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{15}H_{12}NO_3S$ $[M+H]^+$ 286.0538, found 286.0565.

(5-Fluorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1p)



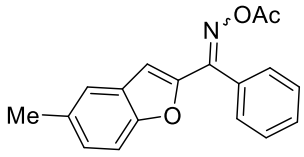
Solid in 79% yield; mp 113–114 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.64–7.66 (m, 1H), 7.47–7.54 (m, 5H), 7.44–7.46 (m, 2H), 6.77 (s, 1H), 2.11 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.0, 160.6 (d, *J* = 8.9 Hz), 155.7, 153.6, 151.7, 130.7, 129.6, 128.6, 128.4, 128.2 (d, *J* = 7.0 Hz), 116.3 (d, *J* = 4.4 Hz), 115.4 (d, *J* = 26.7 Hz), 112.9 (d, *J* = 9.5 Hz), 107.5 (d, *J* = 24.9 Hz), 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}FNO_3$ $[M+H]^+$ 298.0879, found 298.0905.

(5-Chlorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1q)



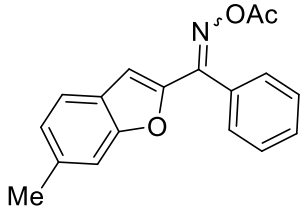
Solid in 67% yield; mp 172–173 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.64–7.69 (m, 2H), 7.55–7.58 (m, 1H), 7.43–7.47 (m, 3H), 7.39 (d, *J* = 11.7 Hz, 1H), 7.34 (d, *J* = 10.9 Hz, 1H), 6.75 (s, 1H), 2.12 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.0, 154.2, 152.8, 151.4, 130.7, 130.3, 129.5, 128.7, 128.4, 127.3, 121.3, 115.5, 113.3, 113.1, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}ClNO_3$ $[M+H]^+$ 314.0584, found 314.0464.

(5-Methylbenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1r)



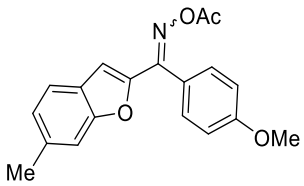
Solid in 79% yield; mp 95–96 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56–7.66 (m, 1H), 7.37–7.50 (m, 6H), 7.04–7.13 (m, 1H), 6.71 (s, 1H), 2.36 (s, 0.8H), 2.08 (s, 2.2H), 2.46 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.1, 156.4, 155.0, 149.4, 137.8, 130.7, 130.1, 128.6, 128.3, 125.1, 121.3, 114.6, 112.2, 22.0, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_3$ $[M+H]^+$ 294.1030, found 294.1036.

(6-Methylbenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1s)



Solid in 80% yield; mp 98–99 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43–7.51 (m, 5H), 7.34 (d, *J* = 7.5 Hz, 1H), 7.12–7.19 (m, 2H), 6.77 (s, 1H), 2.57 (s, 3H), 2.09 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.3, 156.4, 155.1, 149.7, 130.8, 130.1, 128.7, 128.3, 127.9, 127.0, 123.6, 122.4, 119.3, 114.9, 19.5, 15.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_3$ $[M+H]^+$ 294.1030, found 294.1011.

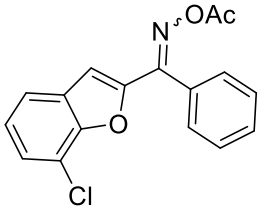
(4-Methoxyphenyl)(6-methylbenzofuran-2-yl)methanone O-acetyl oxime (1t)



Solid in 72% yield; mp 148–150 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.29–7.39 (m, 5H), 7.09 (s, 1H), 6.87 (d, *J* = 10.8 Hz, 1H), 6.72 (s, 1H), 3.85 (s, 3H), 2.45 (s, 3H), 2.11 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.3, 160.0, 157.3, 156.0, 149.3, 140.2, 128.9,

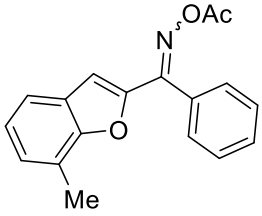
128.7, 127.7, 122.0, 120.9, 114.6, 113.2, 96.0, 55.7, 21.5, 19.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{19}H_{18}NO_4$ $[M+H]^+$ 324.1236, found 324.1240.

(7-Chlorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1u)



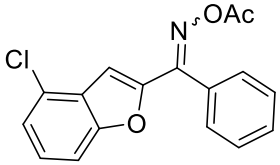
Solid in 75% yield; mp 170–173 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.49–7.54 (m, 3H), 7.43–7.46 (m, 3H), 7.39 (d, *J* = 8.5 Hz, 1H), 7.19 (d, *J* = 15.6 Hz, 1H), 6.88 (s, 1H), 2.14 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.3, 155.7, 151.7, 150.9, 130.3, 129.6, 129.0, 128.7, 128.4, 127.0, 124.4, 120.3, 117.5, 114.1, 19.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}ClNO_3$ $[M+H]^+$ 314.0584, found 314.0572.

(7-Methylbenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1v)



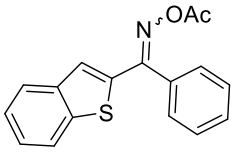
Solid in 76% yield; mp 135–136 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.65–7.68 (m, 2H), 7.56 (d, *J* = 0.9 Hz, 1H), 7.44–7.52 (m, 4H), 7.37 (d, *J* = 8.5 Hz, 1H), 7.23 (dd, *J* = 8.5, 1.4 Hz, 1H), 2.47 (s, 3H), 2.37 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-d) δ 168.6, 154.1, 153.1, 145.1, 133.3, 133.0, 130.5, 129.6, 128.7, 128.3, 127.6, 122.0, 116.2, 111.5, 21.3, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_3$ $[M+H]^+$ 294.1030, found 294.1034.

(4-Chlorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1w)



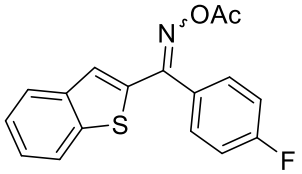
Solid in 78% yield; mp 172–173 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.50–7.56 (m, 4H), 7.46 (d, *J* = 9.4 Hz, 2H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.25 (d, *J* = 7.8 Hz, 1H), 6.86 (s, 1H), 2.12 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.0, 156.0, 155.6, 150.5, 130.3, 130.2, 128.6, 128.5, 127.5, 127.1, 126.9, 123.4, 112.4, 110.8, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}ClNO_3$ $[M+H]^+$ 314.0584, found 314.0590.

Benzo[b]thiophen-2-yl(phenyl)methanone O-acetyl oxime (1aa)



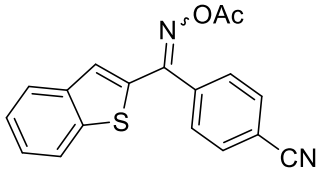
Oil in 68% yield; ^{1}H NMR (400 MHz, Chloroform-d) δ 7.50 (d, *J* = 8.3 Hz, 1H), 7.40–7.45 (m, 4H), 7.35–7.37 (m, 2H), 7.32–7.35 (m, 1H), 7.13–7.17 (m, 1H), 6.70 (s, 1H), 2.01 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-d) δ 168.1, 156.0, 150.0, 130.6, 130.2, 129.7, 128.7, 128.4, 127.4, 127.1, 123.5, 121.9, 114.4, 112.3, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{14}NO_2S$ $[M+H]^+$ 296.0745, found 296.0741.

Benzo[b]thiophen-2-yl(4-fluorophenyl)methanone O-acetyl oxime (1ba)



Oil in 65% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79–7.84 (m, 2H), 7.68 (d, *J* = 7.8 Hz, 1H), 7.43–7.47 (m, 3H), 7.21–7.24 (m, 3H), 2.14 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ, 168.4, 163.5 (d, *J* = 250.6 Hz), 159.3, 141.0, 138.8, 132.3, 130.7 (d, *J* = 8.5 Hz), 130.1, 127.2 (d, *J* = 3.6 Hz), 126.6, 125.2, 124.6, 122.5, 115.7 (d, *J* = 21.9 Hz), 19.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}FNO_2S$ $[M+H]^+$ 314.0651, found 314.0622.

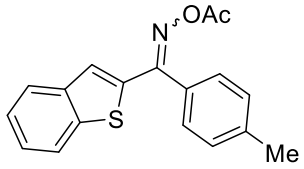
4-((Acetoxyimino)(benzo[b]thiophen-2-yl)methyl)benzonitrile (1ca)



Solid in 32% yield; mp 124–126 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74–7.78 (m, 3H), 7.55 (d, *J* = 8.0 Hz, 2H), 7.36–7.44 (m, 3H), 7.13 (s, 1H), 2.12 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9, 158.4, 141.0, 138.7, 137.0, 132.4, 132.3,

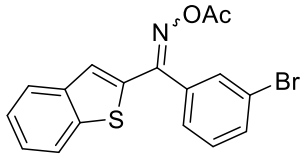
130.3, 129.2, 127.0, 125.3, 124.7, 122.5, 118.1, 113.9, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{13}N_2O_2S$ $[M+H]^+$ 321.0698, found 321.0689.

Benzo[b]thiophen-2-yl(p-tolyl)methanone O-acetyl oxime (1da)



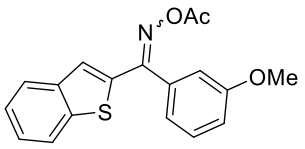
Oil in 67% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.78 (d, *J* = 7.9 Hz, 1H), 7.63 (d, *J* = 7.7 Hz, 1H), 7.26–7.36 (m, 6H), 7.22 (s, 1H), 2.43 (s, 3H), 2.10 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.5, 159.3, 139.9, 139.1, 137.8, 137.6, 128.9, 128.0, 127.5, 127.2, 125.4, 123.6, 123.5, 121.4, 20.5, 18.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_2S$ $[M+H]^+$ 310.0902, found 310.0885.

Benzo[b]thiophen-2-yl(3-bromophenyl)methanone O-acetyl oxime (1ea)



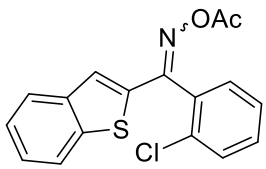
Oil in 56% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82–7.87 (m, 2H), 7.34–7.44 (m, 7H), 2.09 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.2, 157.9, 142.1, 139.1, 137.0, 133.7, 133.3, 131.8, 131.0, 130.3, 127.2, 127.1, 125.3, 125.0, 122.7, 122.5, 25.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}BrNO_2S$ $[M+H]^+$ 373.9850, found 373.9886.

Benzo[b]thiophen-2-yl(3-methoxyphenyl)methanone O-acetyl oxime (1fa)



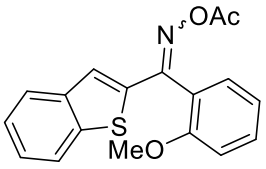
Oil in 63% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 (d, *J* = 8.6 Hz, 1H), 7.64 (d, *J* = 7.8 Hz, 1H), 7.39–7.43 (m, 1H), 7.34–7.38 (m, 1H), 7.27–7.31 (m, 1H), 7.23 (s, 1H), 7.03–7.05 (m, 1H), 6.94–7.00 (m, 2H), 3.82 (s, 3H), 2.10 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.4, 160.2, 159.4, 141.0, 138.8, 138.3, 132.6, 130.3, 129.6, 126.6, 124.7, 124.6, 122.5, 120.7, 115.5, 114.0, 55.4, 19.7 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_3S$ $[M+H]^+$ 326.0851, found 326.0842.

Benzo[b]thiophen-2-yl(2-chlorophenyl)methanone O-acetyl oxime (1ga)



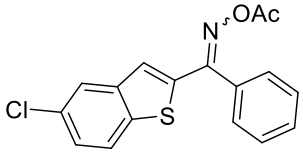
Oil in 65% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.89 (d, *J* = 8.8 Hz, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.44–7.55 (m, 6H), 7.22 (s, 1H), 2.44 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.5, 155.9, 143.1, 138.8, 137.0, 133.5, 132.4, 131.6, 131.2, 130.0, 129.2, 127.1, 126.7, 125.3, 124.6, 122.1, 20.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}ClNO_2S$ $[M+H]^+$ 330.0356, found 330.0339.

Benzo[b]thiophen-2-yl(2-methoxyphenyl)methanone O-acetyl oxime (1ha)



Oil in 77% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82–7.84 (m, 1H), 7.67 (dt, *J* = 8.0, 1.0 Hz, 1H), 7.43–7.46 (m, 1H), 7.27–7.32 (m, 3H), 7.17–7.20 (m, 1H), 6.97–7.04 (m, 2H), 3.64 (s, 3H), 2.37 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.7, 158.0, 156.4, 142.9, 137.1, 132.4, 132.1, 131.2, 129.1, 126.8, 125.2, 124.8, 123.6, 122.1, 120.6, 111.4, 55.7, 20.2 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_3S$ $[M+H]^+$ 326.0851, found 326.0841.

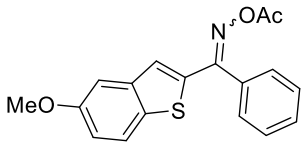
(5-Chlorobenzo[b]thiophen-2-yl)(phenyl)methanone O-acetyl oxime (1ia)



Oil in 58% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, *J* = 8.6 Hz, 1H), 7.60 (d, *J* = 1.7 Hz, 1H), 7.50–7.53 (m, 3H), 7.40–7.43 (m, 2H), 7.31 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.12 (s, 1H), 2.10 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.3, 159.9, 140.6, 139.9,

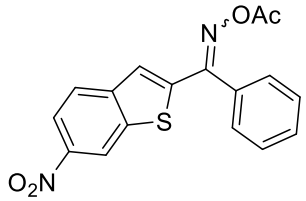
139.1, 131.0, 130.9, 130.1, 129.0, 128.5, 128.5, 126.9, 123.9, 123.5, 19.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}ClNO_2S$ $[M+H]^+$ 330.0356, found 330.0283.

(5-Methoxybenzo[b]thiophen-2-yl)(phenyl)methanone O-acetyl oxime (1ja)



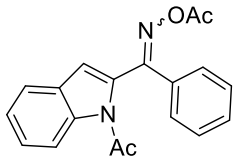
Oil in 69% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 (d, *J* = 8.9 Hz, 1H), 7.61 (d, *J* = 6.8 Hz, 2H), 7.50–7.54 (m, 3H), 7.37 (s, 1H), 7.13 (d, *J* = 4.6 Hz, 1H), 7.10 (d, *J* = 2.4 Hz, 1H), 3.83 (s, 3H), 2.39 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9, 158.2, 157.8, 139.8, 138.2, 134.6, 133.1, 132.2, 130.3, 129.8, 128.4, 122.8, 118.1, 106.0, 55.5, 20.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{16}NO_3S$ $[M+H]^+$ 326.0851, found 326.0809.

(6-Nitrobenzo[b]thiophen-2-yl)(phenyl)methanone O-acetyl oxime (1ka)



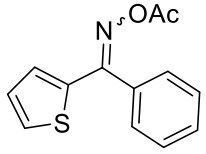
Oil in 39% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.78 (d, *J* = 8.8 Hz, 1H), 7.61–7.64 (m, 1H), 7.57–7.58 (m, 2H), 7.48–7.50 (m, 1H), 7.43–7.46 (m, 2H), 7.32 (s, 1H), 2.15 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.0, 159.4, 145.1, 143.0, 140.7, 133.9, 131.0, 130.4, 129.6, 128.6, 128.4, 124.9, 119.8, 118.8, 19.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{13}N_2O_4S$ $[M+H]^+$ 341.0596, found 341.0654.

1-(2-((Acetoxyimino)(phenyl)methyl)-1H-indol-1-yl)ethan-1-one (1la)



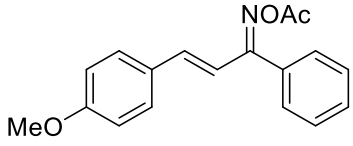
Solid in 37% yield; mp 132–134 °C; ^{1}H NMR (400 MHz, DMSO-d6) δ 8.02 (d, *J* = 8.5 Hz, 1H), 7.74 (d, *J* = 7.7 Hz, 1H), 7.53–7.59 (m, 3H), 7.44–7.50 (m, 3H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.03 (s, 1H), 2.63 (s, 3H), 2.07 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d6) δ 185.5, 169.6, 158.0, 136.8, 135.7, 132.7, 132.3, 129.2, 129.1, 128.3, 126.7, 124.1, 122.6, 115.6, 114.2, 26.5, 25.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{19}H_{17}N_2O_3$ $[M+H]^+$ 321.1239, found 321.1246.

Phenyl(thiophen-2-yl)methanone O-acetyl oxime (1na)



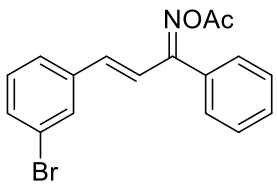
Solid in 71% yield; mp 95–97 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (dd, *J* = 5.1, 1.2 Hz, 1H), 7.55–7.58 (m, 2H), 7.41–7.49 (m, 3H), 7.23 (dd, *J* = 3.9, 1.2 Hz, 1H), 7.09 (dd, *J* = 5.1, 3.9 Hz, 1H), 2.36 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.9, 157.5, 135.6, 134.7, 133.2, 132.1, 130.1, 129.7, 128.3, 126.3, 20.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{13}H_{12}NO_2S$ $[M+H]^+$ 246.0589, found 246.0678.

3-(4-Methoxyphenyl)-1-phenylprop-2-en-1-one O-acetyl oxime (1cb)



Oil in 81% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.55 (d, *J* = 7.9 Hz, 2H), 7.49–7.01 (m, 6H), 6.90 (d, *J* = 8.7 Hz, 2H), 6.79 (d, *J* = 16.3 Hz, 1H), 3.83 (s, 3H), 2.31 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.1, 163.6, 161.2, 143.0, 133.4, 130.0, 129.8, 129.5, 128.4, 128.1, 115.0, 114.4, 55.4, 20.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{18}NO_3$ $[M+H]^+$ 296.1287, found 296.1264.

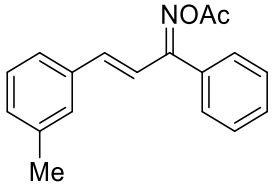
3-(3-Bromophenyl)-1-phenylprop-2-en-1-one O-acetyl oxime (1db)



Oil in 78% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.73 (s, 1H) , 7.63 (d, *J* = 8.0 Hz, 1H) , 7.54–7.48 (m, 4H), 7.48–7.44 (m, 4H), 6.82 (d, *J* = 16.4 Hz, 1H), 2.31 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 162.0, 143.4, 135.2, 135.1, 133.2, 132.6, 130.3, 130.0, 129.0,

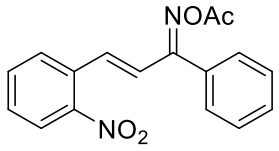
128.5, 127.9, 122.6, 116.9, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{15}BrNO_2$ $[M+H]^+$ 344.0286, found 344.0321.

1-Phenyl-3-(m-tolyl)prop-2-en-1-one O-acetyl oxime (1eb)



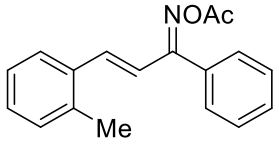
Oil in 79% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.45–7.34 (m, 2H), 7.38 (s, 1H), 7.35–7.23 (m, 8H), 6.83 (d, *J* = 16.4 Hz, 1H), 2.35 (s, 3H)2.25 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.8, 163.3, 143.1, 138.2, 135.3, 133.2, 130.9, 130.3, 130.0, 128.9, 128.3, 127.9, 126.9, 117.3, 21.4, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{18}NO_2$ $[M+H]^+$ 280.1338, found 280.1382.

3-(2-Nitrophenyl)-1-phenylprop-2-en-1-one O-acetyl oxime (1fb)



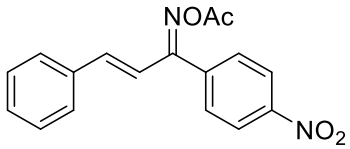
Oil in 67% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.03 (d, *J* = 8.1 Hz, 1H), 7.55–7.42 (m, 9H), 7.15 (d, *J* = 2.3 Hz, 1H), 2.32 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.9, 162.4, 148.0, 138.9, 133.8, 130.6, 130.1, 129.7, 128.9, 128.6, 128.2, 127.4, 125.0, 121.5, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{15}N_2O_4$ $[M+H]^+$ 311.1032, found 311.1051.

1-Phenyl-3-(o-tolyl)prop-2-en-1-one O-acetyl oxime (1gb)



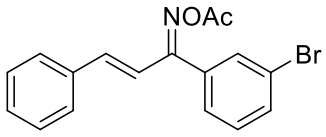
Oil in 75% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.30 –7.22 (m, 9H), 7.07 (d, *J* = 7.4 Hz, 1H), 6.49 (d, *J* = 16.3 Hz, 1H), 2.20 (s, 3H), 1.95 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.3, 165.5, 141.2, 135.4, 131.5, 130.5, 130.1, 129.5, 128.8, 128.0, 127.4, 125.7, 124.1, 117.0, 19.7, 19.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{18}NO_2$ $[M+H]^+$ 280.1338, found 280.1368.

1-(4-Nitrophenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1hb)



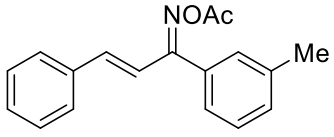
Oil in 79% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.20 (d, *J* = 8.6 Hz, 2H), 7.69 (d, *J* = 8.7 Hz, 2H), 7.53–7.44 (m, 6H), 6.92 (d, *J* = 16.5 Hz, 1H), 2.34 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.6, 162.2, 148.1, 141.5, 140.0, 132.6, 130.4, 129.6, 128.6, 128.5, 128.0, 124.0, 121.2, 19.8 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{15}N_2O_4$ $[M+H]^+$ 311.1032, found 311.1071.

1-(3-Bromophenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1jb)



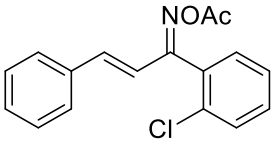
Oil in 81% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.60 (s, 1H), 7.45–7.36 (m, 6H), 7.24–7.14 (m, 3H), 6.74 (d, *J* = 16.4 Hz, 1H), 2.30 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.8, 162.7, 141.4, 137.4, 132.7, 132.1, 130.5, 130.4, 129.7, 128.6, 128.1, 126.4, 125.6, 123.0, 118.6, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{15}BrNO_2$ $[M+H]^+$ 344.0286, found 344.0312.

3-Phenyl-1-(m-tolyl)prop-2-en-1-one O-acetyl oxime (1kb)



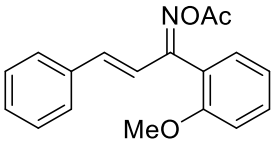
Oil in 70% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.49–7.37 (m, 4H), 7.30–7.26 (m, 2H), 7.25–7.06 (m, 4H), 6.81 (d, *J* = 16.4 Hz, 1H), 2.34 (s, 3H), 2.30 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.01, 163.33, 143.49, 138.57, 135.24, 133.31, 130.93, 129.80, 128.83, 128.59, 128.50, 127.81, 125.06, 117.06, 21.35, 19.95 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{18}NO_2$ [M+H]+ 280.1338, found 280.1356.

1-(2-Chlorophenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1lb)



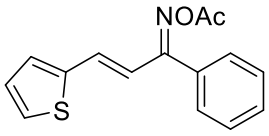
Oil in 79% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75–7.72 (m, 1H), 7.62–7.60 (m, 2H), 7.52–7.44 (m, 4H), 7.39–7.36 (m, 1H), 7.33 – 7.29 (m, 3H), 2.31 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.9, 162.9, 139.2, 134.7, 133.8, 132.9, 130.8, 130.4, 130.1, 129.7, 128.5, 127.5, 127.2, 119.7, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{17}H_{15}NClO_2$ $[M+H]^+$ 300.0791, found 300.0791.

1-(2-Methoxyphenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1mb)



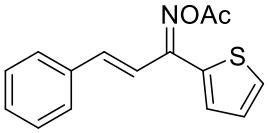
Oil in 81% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ7.60–7.55 (m, 4H), 7.30–7.21 (m, 4H), 6.81–6.77 (m, 1H), 3.69 (s, 3H), 2.24 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.9, 163.9, 157.9, 138.5, 131.3, 130.7, 129.8, 128.4, 128.2, 127.9, 124.2, 120.8, 117.5, 111.1, 55.4, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{18}H_{18}NO_3$ $[M+H]^+$ 296.1287, found 296.1298..

1-Phenyl-3-(thiophen-2-yl)prop-2-en-1-one O-acetyl oxime (1nb)



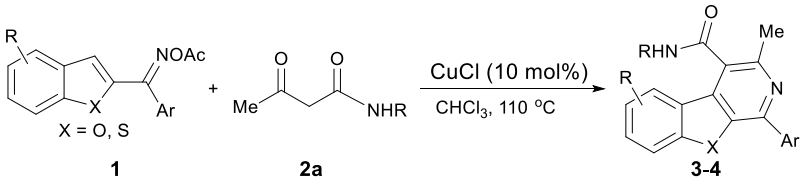
Oil in 82% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.53–7.42 (m, 4H), 7.40–7.28 (m, 4H), 7.20–7.01 (m, 2H), 2.26 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.7, 157.4, 142.1, 135.2, 132.3, 130.1, 130.1, 129.0, 128.9, 127.9, 127.5, 117.2, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{15}H_{13}NO_2S$ $[M+H]^+$ 272.0745, found 272.0778.

3-Phenyl-1-(thiophen-2-yl)prop-2-en-1-one O-acetyl oxime (1ob)



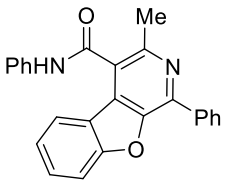
Oil in 76% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.48–7.39 (m, 4H), 7.00–6.90 (m, 4H), 2.28 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.8, 163.0, 140.6, 135.8, 134.1, 130.6, 129.7, 129.1, 128.4, 128.1, 123.7, 116.1, 19.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{15}H_{13}NO_2S$ $[M+H]^+$ 272.0745, found 272.0768.

General procedure for the synthesis of 3-4



1 (0.2 mmol, 1.0 equiv), **2** (0.6 mmol, 3.0 equiv), and CuCl (0.02 mmol) were loaded into a 10 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The Schlenk tube was placed under vacuum for 1 min and then N_2 was pumped into it. The solvent $CHCl_3$ (1 mL, 0.2 M) was added into the Schlenk tube by syringe. The reaction mixture was stirred at 110 °C for 12 h. After completion of the reaction (detected by TLC), the reaction tube was allowed to cool to room temperature and the reaction solution was concentrated under vacuum. The crude products were purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the products **3 or 4**.

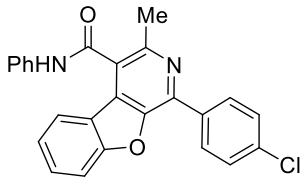
3-Methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3a)



Prepared according to general procedure to afford **3a** (49.1 mg, 65% yield) as a white solid; mp 219–220 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.93 (s, 1H), 8.44 (d, *J* = 7.2 Hz, 2H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.88 (d, *J* = 7.7 Hz, 1H), 7.84 (d, *J* = 7.6 Hz, 2H), 7.74 (t, *J* = 7.9 Hz, 1H), 7.65 (t, *J* = 7.5 Hz, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.43–7.49 (m, 3H), 7.20 (t, *J* = 7.4 Hz, 1H),

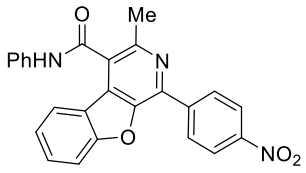
2.75 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.2, 156.8, 148.4, 147.8, 140.9, 139.2, 135.7, 131.3, 130.2, 129.8, 129.6, 129.3, 128.8, 125.1, 124.8, 124.7, 123.2, 121.0, 120.2, 113.3, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{19}N_2O_2$ $[M+H]^+$ 379.1447, found 379.1434.

1-(4-Chlorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3b)



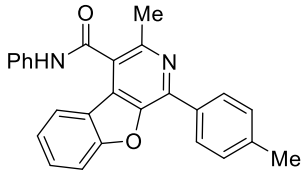
Prepared according to general procedure to afford **3b** (56.0 mg, 68% yield) as a white solid; mp 241–243 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.94 (s, 1H), 8.49 (d, J = 8.7 Hz, 2H), 7.94 (d, J = 8.4 Hz, 1H), 7.88 (d, J = 7.9 Hz, 1H), 7.83 (d, J = 7.6 Hz, 2H), 7.71–7.77 (m, 3H), 7.43–7.50 (m, 3H), 7.20 (t, J = 7.4 Hz, 1H), 2.75 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.1, 156.8, 148.3, 147.9, 139.4, 139.1, 135.0, 134.4, 131.4, 130.5, 130.0, 129.6, 129.4, 125.5, 124.8, 123.2, 120.9, 120.2, 120.1, 113.3, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}ClN_2O_2$ $[M+H]^+$ 413.1057, found 413.1047.

3-Methyl-1-(4-nitrophenyl)-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3c)



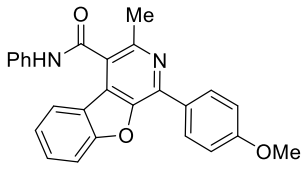
Prepared according to general procedure to afford **3c** (44.0 mg, 52% yield) as a yellow solid; mp 266–267 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.99 (s, 1H), 8.69–8.73 (m, 2H), 8.48–8.52 (m, 2H), 7.96 (d, J = 8.4 Hz, 1H), 7.88 (d, J = 7.4 Hz, 1H), 7.82 (dd, J = 8.6, 1.0 Hz, 2H), 7.75–7.79 (m, 1H), 7.42–7.52 (m, 3H), 7.18–7.22 (m, 1H), 2.77 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 164.8, 156.9, 149.0, 148.3, 148.3, 141.5, 139.0, 138.2, 131.7, 130.3, 129.9, 129.6, 126.4, 125.0, 124.9, 124.5, 123.3, 120.7, 120.3, 113.4, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}N_3O_4$ $[M+H]^+$ 424.1297, found 424.1289.

3-Methyl-N-phenyl-1-(p-tolyl)benzofuro[2,3-*c*]pyridine-4-carboxamide (3d)



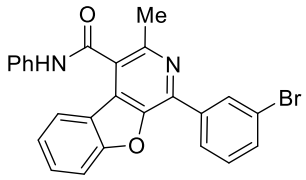
Prepared according to general procedure to afford **3d** (43.1 mg, 55% yield) as a white solid; mp 246–247 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.91 (s, 1H), 8.37 (d, J = 8.2 Hz, 2H), 7.94 (d, J = 8.4 Hz, 1H), 7.82–7.88 (m, 3H), 7.73 (t, J = 8.4 Hz, 1H), 7.42–7.49 (m, 5H), 7.20 (t, J = 7.4 Hz, 1H), 2.74 (s, 3H), 2.44 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.3, 156.8, 148.2, 147.7, 140.9, 140.00, 139.2, 132.9, 131.2, 129.9, 129.6, 128.7, 124.8, 124.7, 124.6, 123.1, 121.0, 120.2, 120.1, 113.3, 22.3, 21.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2$ $[M+H]^+$ 393.1603, found 393.1609.

1-(4-Methoxyphenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3e)



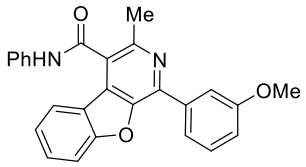
Prepared according to general procedure to afford **3e** (43.2 mg, 53% yield) as a white solid; mp 271–272 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.91 (s, 1H), 8.44 (d, J = 8.8 Hz, 2H), 7.94 (d, J = 8.4 Hz, 1H), 7.87 (d, J = 7.8 Hz, 1H), 7.83 (d, J = 7.9 Hz, 2H), 7.73 (t, J = 7.7 Hz, 1H), 7.42–7.48 (m, 3H), 7.20 (t, J = 8.1 Hz, 3H), 3.88 (s, 3H), 2.73 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.3, 161.0, 156.7, 147.9, 147.6, 147.4, 140.8, 139.2, 131.1, 130.3, 129.6, 128.1, 124.7, 124.6, 124.4, 123.1, 121.1, 120.2, 114.7, 113.3, 55.8, 22.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_3$ $[M+H]^+$ 409.1552, found 409.1532.

1-(3-Bromophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3f)



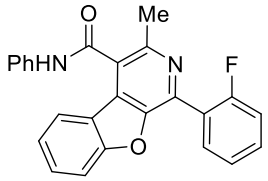
Prepared according to general procedure to afford **3f** (80.3 mg, 88% yield) as a white solid; mp 245–246 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.96 (s, 1H), 8.58 (t, *J* = 1.7 Hz, 1H), 8.49 (dt, *J* = 7.8, 1.1 Hz, 1H), 7.97 (d, *J* = 8.4 Hz, 1H), 7.82-7.89 (m, 3H), 7.73–7.80 (m, 2H), 7.63 (t, *J* = 7.9 Hz, 1H), 7.43–7.51 (m, 3H), 7.21 (t, *J* = 7.4 Hz, 1H), 2.75 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.0, 156.8, 148.5, 148.0, 139.1, 138.9, 137.9, 133.0, 131.6, 131.5, 131.0, 130.1, 129.6, 127.9, 125.7, 124.8, 124.8, 123.2, 122.7, 120.8, 120.3, 113.4, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}BrN_2O_2$ $[M+H]^+$ 457.0552, found 457.0536.

1-(3-Methoxyphenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3g)



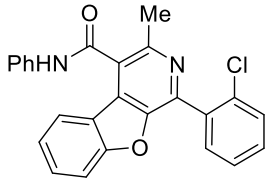
Prepared according to general procedure to afford **3g** (40.0 mg, 49% yield) as a white solid; mp 274–275 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.92 (s, 1H), 8.05 (d, *J* = 8.1 Hz, 1H), 7.95–7.99 (m, 2H), 7.83–7.89 (m, 3H), 7.72–7.76 (m, 1H), 7.57 (t, *J* = 8.0 Hz, 1H), 7.43–7.49 (m, 3H), 7.15–7.22 (m, 2H), 3.91 (s, 3H), 2.75 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.2, 160.0, 156.8, 148.4, 147.7, 140.6, 139.2, 137.0, 131.3, 130.4, 129.8, 129.6, 125.3, 124.8, 124.7, 123.2, 121.3, 121.0, 120.2, 115.5, 114.4, 113.3, 55.7, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_3$ $[M+H]^+$ 409.1552, found 409.1555.

1-(2-Fluorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3h)



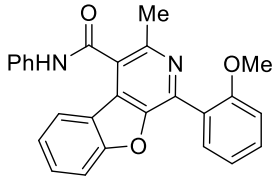
Prepared according to general procedure to afford **3h** (48.3 mg, 61% yield) as a white solid; mp 202–203 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.03 (s, 1H), 7.81–7.91 (m, 5H), 7.64–7.74 (m, 2H), 7.45–7.51 (m, 5H), 7.22 (t, *J* = 7.4 Hz, 1H), 2.77 (s, 3H) ppm; ^{13}C NMR (100 MHz, Acetone-d_6) δ 165.0, 160.3 (d, *J* = 249.8 Hz) , 156.9, 148.9, 148.1, 139.0, 138.4, 131.9 (d, *J* = 3.3 Hz) , 131.4 (d, *J* = 8.3 Hz) , 130.6, 129.1, 129.0, 125.2, 124.5 (d, *J* = 3.5 Hz) , 124.5, 124.2 (d, *J* = 14.8 Hz) , 123.9, 123.3, 121.2, 119.9, 115.9 (d, *J* = 21.8 Hz) , 112.4, 21.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}FN_2O_2$ $[M+H]^+$ 397.1352, found 397.1347.

1-(2-Chlorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3i)



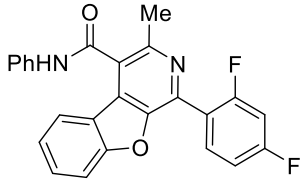
Prepared according to general procedure to afford **3i** (66.7 mg, 81% yield) as a white solid; mp 245–246 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.04 (s, 1H), 7.83–7.89 (m, 4H), 7.58–7.72 (m, 5H), 7.43–7.49 (m, 3H), 7.21 (t, *J* = 7.4 Hz, 1H), 2.73 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.1, 156.9, 148.7, 147.8, 141.3, 139.1, 135.1, 132.8, 132.3, 131.5, 131.4, 130.2, 129.6, 129.0, 127.9, 125.8, 124.8, 124.7, 123.3, 121.1, 120.3, 113.3, 22.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}ClN_2O_2$ $[M+H]^+$ 413.1057, found 413.1067.

1-(2-Methoxyphenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3j)



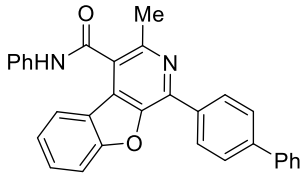
Prepared according to general procedure to afford **3j** (44.9 mg, 55% yield) as a white solid; mp 256–257 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.01 (s, 1H), 7.86 (d, *J* = 8.2 Hz, 3H), 7.80 (d, *J* = 8.3 Hz, 1H), 7.66–7.70 (m, 1H), 7.54–7.58 (m, 1H), 7.41–7.51 (m, 4H), 7.27 (d, *J* = 8.3 Hz, 1H), 7.14–7.22 (m, 2H), 3.79 (s, 3H), 2.71 (s, 3H), ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.4, 157.6, 156.7, 149.1, 147.5, 147.5, 141.8, 139.2, 131.3, 131.0, 129.6, 128.3, 125.5, 125.0, 124.7, 124.4, 123.1, 121.3, 121.1, 120.2, 113.1, 112.4, 56.2, 22.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_3$ $[M+H]^+$ 409.1552, found 409.1541.

1-(2,4-Difluorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3k)



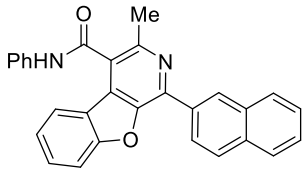
Prepared according to general procedure to afford **3k** (53.0 mg, 64% yield) as a white solid; mp 235–236 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.99 (s, 1H), 7.82–7.91 (m, 5H), 7.72 (td, *J* = 8.3, 7.8, 1.3 Hz, 1H), 7.51–7.58 (m, 1H), 7.43–7.49 (m, 3H), 7.34–7.39 (m, 1H), 7.21 (t, *J* = 7.4 Hz, 1H), 2.73 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.0,162.0 (dd, *J* = 80.8, 12.4 Hz), 159.1 (d, *J* = 12.5 Hz), 156.8, 148.8, 148.2, 139.1, 137.3, 133.5 (dd, *J* = 9.9, 4.6 Hz), 131.4, 129.6, 129.2, 125.8, 124.8 (d, *J* = 11.2 Hz), 123.3, 121.1, 120.6 (dd, *J* = 14.9, 3.4 Hz), 120.3, 118.6, 113.2, 112.7 (dd, *J* = 21.5, 3.0 Hz), 105.1 (t, *J* = 26.0 Hz), 22.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}F_2N_2O_2$ $[M+H]^+$ 415.1258, found 415.1251.

1-([1,1'-Biphenyl]-4-yl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3l)



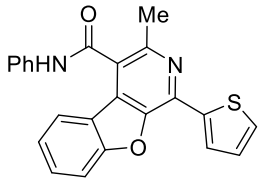
Prepared according to general procedure to afford **3l** (72.6 mg, 80% yield) as a white solid; mp 243–244 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.95 (s, 1H), 8.57 (d, *J* = 8.5 Hz, 2H), 7.95–7.98 (m, 3H), 7.89 (d, *J* = 7.8 Hz, 1H), 7.81–7.85 (m, 4H), 7.73–7.77 (m, 1H), 7.44–7.56 (m, 6H), 7.21 (t, *J* = 7.4 Hz, 1H), 2.77 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.2, 156.8, 148.5, 147.8, 141.8, 140.4, 139.9, 139.2, 134.7, 131.3, 129.8, 129.6, 129.6, 129.4, 128.4, 127.6, 127.3, 125.1, 124.8, 124.7, 123.2, 121.0, 120.2, 113.3, 22.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{31}H_{23}N_2O_2$ $[M+H]^+$ 455.1760 , found 455.1749.

3-Methyl-1-(naphthalen-2-yl)-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3m)



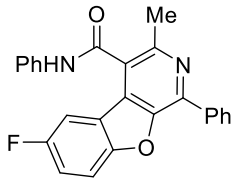
Prepared according to general procedure to afford **3m** (46.3 mg, 54% yield) as a white solid; mp 250–253 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.97 (s, 1H), 9.05 (s, 1H), 8.59 (dd, *J* = 8.6, 1.8 Hz, 1H), 8.16–8.21 (m, 2H), 8.00–8.06 (m, 2H), 7.90 (d, *J* = 7.9 Hz, 1H), 7.84–7.86 (m, 2H), 7.77 (ddd, *J* = 8.5, 7.4, 1.3 Hz, 1H), 7.63–7.67 (m, 2H), 7.44–7.51 (m, 3H), 7.21 (t, *J* = 7.4 Hz, 1H), 2.80 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.2, 156.9, 148.7, 147.9, 140.8, 139.2, 133.9, 133.3, 133.1, 131.3, 129.9, 129.6, 129.4, 128.8, 128.1, 127.8, 127.2, 125.9, 125.2, 124.8, 124.7, 123.2, 121.0, 120.2, 120.1, 113.4, 22.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{29}H_{21}N_2O_2$ $[M+H]^+$ 429.1603, found 429.1599.

3-Methyl-N-phenyl-1-(thiophen-2-yl)benzofuro[2,3-*c*]pyridine-4-carboxamide (3n)



Prepared according to general procedure to afford **3n** (46.1 mg, 60% yield) as a yellow solid; mp 124–126 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.90 (s, 1H), 8.30 (dd, *J* = 3.7, 1.1 Hz, 1H), 7.97 (d, *J* = 8.4 Hz, 1H), 7.82–7.88 (m, 4H), 7.75 (ddd, *J* = 8.5, 7.4, 1.3 Hz, 1H), 7.42–7.50 (m, 3H), 7.37 (dd, *J* = 5.1, 3.7 Hz, 1H), 7.20 (t, *J* = 7.4 Hz, 1H), 2.70 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.1, 156.9, 147.9, 146.2, 140.3, 139.1, 136.7, 131.3, 130.1, 129.6, 129.5, 129.4, 129.3, 124.8, 124.8, 124.6, 123.3, 121.0, 120.2, 113.4, 22.2 ppm; HRMS (ESI-TOF): m/z calcd for $C_{23}H_{17}N_2O_2S$ $[M+H]^+$ 385.1011, found 385.1003.

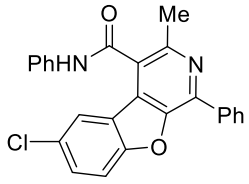
6-Fluoro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3p)



Prepared according to general procedure to afford **3p** (36.4 mg, 46% yield) as a white solid; mp 246–247 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.97 (s, 1H), 8.43 (d, *J* = 7.3 Hz, 2H), 8.03 (dd, *J* = 9.1, 4.0 Hz, 1H), 7.84 (d, *J* = 7.8 Hz, 2H), 7.62–7.68 (m, 3H), 7.58 (t, *J* = 7.3 Hz, 1H), 7.51 (dd, *J* = 8.3, 2.6 Hz, 1H), 7.46 (t, *J* = 7.9 Hz, 2H), 7.22 (t, *J* = 7.4 Hz, 1H), 2.77 (s, 3H)

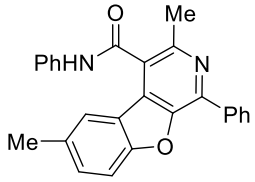
ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 164.8, 160.1, 157.7, 153.1, 149.3, 148.0, 141.4, 139.0, 135.4, 130.4, 129.6, 129.5 (d, J = 3.7 Hz), 129.3, 128.9, 124.9 (d, J = 7.1 Hz), 121.9 (d, J = 10.7 Hz), 120.2, 119.0 (d, J = 25.8 Hz), 115.0 (d, J = 9.3 Hz), 108.7 (d, J = 26.0 Hz), 22.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}FN_2O_2$ $[M+H]^+$ 397.1352, found 397.1347.

6-Chloro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3q)



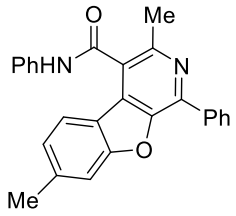
Prepared according to general procedure to afford **3q** (39.6 mg, 48% yield) as a white solid; mp 226–228 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.98 (s, 1H), 8.43 (d, J = 7.3 Hz, 2H), 8.02 (d, J = 9.6 Hz, 1H), 7.78– 7.84 (m, 4H), 7.66 (t, J = 7.4 Hz, 2H), 7.58 (t, J = 7.3 Hz, 1H), 7.47 (t, J = 7.9 Hz, 2H), 7.22 (t, J = 7.4 Hz, 1H), 2.77 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 164.8, 155.4, 149.0, 148.3, 142.7, 141.4, 139.0, 135.4, 131.1, 130.4, 129.6, 129.3, 129.0, 128.9, 128.6, 124.9, 122.6, 122.5, 120.2, 115.3, 22.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}ClN_2O_2$ $[M+H]^+$ 413.1057, found 413.1067.

3,6-Dimethyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3r)



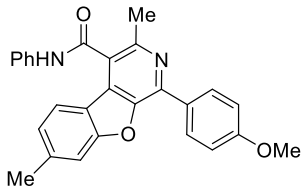
Prepared according to general procedure to afford **3r** (46.3 mg, 59% yield) as a white solid; mp 260–261 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.91 (s, 1H), 8.41–8.43 (m, 2H), 7.82–7.84 (m, 2H), 7.72–7.75 (m, 2H), 7.65 (t, J = 7.5 Hz, 2H), 7.58 (m, 1H), 7.42–7.46 (m, 2H), 7.54–7.29 (d, J = 8.0 Hz, 1H), 7.20 (t, J = 7.4 Hz, 1H), 2.74 (s, 3H), 2.51 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.3, 157.3, 148.4, 147.7, 141.9, 140.7, 139.2, 135.7, 130.2, 130.0, 129.6, 129.3, 128.8, 126.0, 124.9, 124.7, 122.7, 120.2, 118.5, 113.2, 22.3, 22.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2$ $[M+H]^+$ 393.1603, found 393.1583.

3,7-Dimethyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3s)



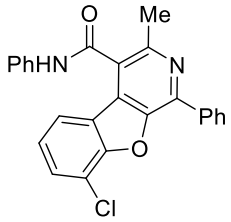
Prepared according to general procedure to afford **3s** (47.0 mg, 60% yield) as a white solid; mp 225–226 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.92 (s, 1H), 8.47–8.50 (m, 2H), 7.83 (d, J = 7.5 Hz, 2H), 7.64–7.70 (m, 3H), 7.55–7.59 (m, 2H), 7.44 (t, J = 7.9 Hz, 2H), 7.36 (t, J = 7.6 Hz, 1H), 7.20 (t, J = 7.4 Hz, 1H), 2.74 (s, 3H), 2.65 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.2, 155.7, 148.3, 147.6, 140.8, 139.2, 135.8, 131.8, 130.2, 130.2, 129.6, 129.3, 128.8, 125.2, 124.7, 124.6, 123.0, 120.5, 120.5, 120.2, 22.3, 15.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2$ $[M+H]^+$ 393.1603, found 393.1592.

1-(4-Methoxyphenyl)-3,7-dimethyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3t)



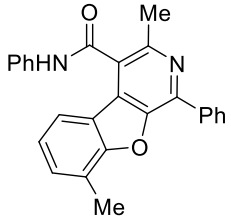
Prepared according to general procedure to afford **3t** (48 mg, 67% yield) as a yellow solid; mp 271–272 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.87 (s, 1H), 8.35 (d, J = 8.2 Hz, 2H), 7.82 (d, J = 8.6 Hz, 2H), 7.71 (d, J = 8.7 Hz, 1H), 7.54 (d, J = 2.2 Hz, 1H), 7.43 (t, J = 8.5 Hz, 4H), 7.19 (t, J = 7.4 Hz, 1H), 7.06 (dd, J = 8.8, 2.3 Hz, 1H), 3.90 (s, 3H), 2.70 (s, 3H), 2.44 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.4, 162.5, 158.7, 148.5, 147.7, 140.2, 139.8, 139.2, 133.0, 130.1, 129.8, 129.5, 128.7, 124.7, 124.1, 123.6, 120.2, 113.8, 113.7, 97.4, 56.5, 22.4, 21.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{27}H_{23}N_2O_3$ $[M+H]^+$ 423.1709, found 423.1692.

8-Chloro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3u)



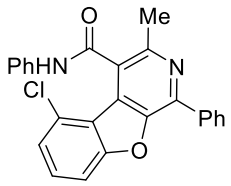
Prepared according to general procedure to afford **3u** (42.8 mg, 52% yield) as a white solid; mp 235–236 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.98 (s, 1H), 8.43 (d, J = 7.3 Hz, 2H), 8.02 (d, J = 9.6 Hz, 1H), 7.78-7.84 (m, 4H), 7.66 (t, J = 7.4 Hz, 2H), 7.58 (t, J = 7.3 Hz, 1H), 7.47 (t, J = 7.9 Hz, 2H), 7.22 (t, J = 7.4 Hz, 1H), 2.77 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 164.8, 152.4, 148.5, 148.4, 141.3, 139.0, 135.3, 130.9, 130.5, 129.8, 129.6, 129.4, 128.8, 126.0, 125.3, 124.9, 123.0, 122.1, 120.3, 117.3, 22.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}ClN_2O_2$ $[M+H]^+$ 413.1057, found 413.1061.

3,8-Dimethyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3v)



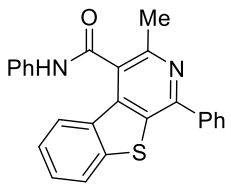
Prepared according to general procedure to afford **3v** (43.1 mg, 55% yield) as a white solid; mp 219–221 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.90 (s, 1H), 8.43 (d, J = 7.2 Hz, 2H), 7.82 (d, J = 8.5 Hz, 3H), 7.63–7.66 (m, 3H), 7.56 (t, J = 8.0 Hz, 2H), 7.45 (t, J = 7.9 Hz, 2H), 7.21 (t, J = 7.4 Hz, 1H), 2.74 (s, 3H), 2.38 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.2, 155.3, 148.6, 147.7, 140.8, 139.1, 135.7, 133.7, 132.3, 130.2, 129.7, 129.6, 129.3, 128.8, 125.1, 124.8, 122.8, 121.0, 120.3, 112.9, 22.4, 21.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2$ $[M+H]^+$ 393.1603, found 393.1592.

5-Chloro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3w)



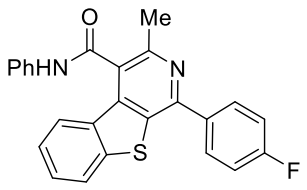
Prepared according to general procedure to afford **3w** (31.3 mg, 38% yield) as a white solid; mp 203–205 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.80 (s, 1H), 8.38 (d, J = 7.1 Hz, 2H), 7.93 (dd, J = 8.3, 0.7 Hz, 1H), 7.67–7.78 (m, 3H), 7.65 (t, J = 7.4 Hz, 2H), 7.57 (t, J = 7.3 Hz, 1H), 7.52 (dd, J = 7.9, 0.7 Hz, 1H), 7.38–7.42 (m, 2H), 7.15 (t, J = 7.4 Hz, 1H), 2.73 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.2, 157.6, 148.5, 148.1, 141.1, 139.5, 135.5, 132.0, 130.2, 129.4, 129.3, 129.0, 128.8, 127.4, 126.0, 126.0, 124.4, 120.1, 119.9, 112.2, 22.7 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}ClN_2O_2$ $[M+H]^+$ 413.1057, found 413.1063.

3-Methyl-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3aa)



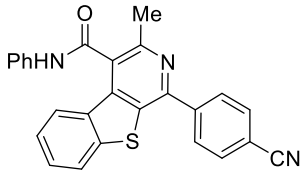
Prepared according to general procedure to afford **3aa** (55.2 mg, 70% yield) as a white solid; mp 199–200 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.02 (s, 1H), 8.18 (d, J = 8.0 Hz, 1H), 8.14 (d, J = 8.1 Hz, 1H), 8.05 (d, J = 7.0 Hz, 2H), 7.84 (d, J = 7.6 Hz, 2H), 7.59–7.69 (m, 4H), 7.52 (t, J = 8.1 Hz, 1H), 7.45 (t, J = 7.9 Hz, 2H), 7.21 (t, J = 7.4 Hz, 1H), 2.74 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.5, 152.5, 149.8, 141.1, 139.4, 139.2, 139.2, 132.6, 131.1, 130.1, 129.9, 129.6, 129.4, 128.6, 126.4, 126.0, 124.8, 124.8, 124.1, 120.2, 22.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{19}N_2OS$ $[M+H]^+$ 395.1218, found 395.1239.

1-(4-Fluorophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ba)



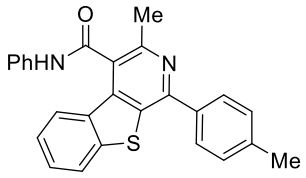
Prepared according to general procedure to afford **3ba** (54.2 mg, 66% yield) as a white solid; mp 243–244 °C;^{1}H NMR (400 MHz, DMSO-d_6) δ 11.05 (s, 1H), 8.09–8.19 (m, 4H), 7.85 (d, J = 7.6 Hz, 2H), 7.67 (t, J = 8.1 Hz, 1H), 7.43–7.54 (m, 5H), 7.21 (t, J = 7.4 Hz, 1H), 2.74 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.4, 163.3 (d, J = 247.4 Hz), 151.4, 149.8, 141.0, 139.2, 139.2, 135.8 (d, J = 3.1 Hz), 132.5, 130.9, 130.9 (d, J = 8.6 Hz), 130.0, 129.6, 126.5, 126.1, 124.8, 124.8, 124.1, 120.2, 116.4 (d, J = 21.7 Hz), 22.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}FN_2OS$ $[M+H]^+$ 413.1124, found 413.1125.

1-(4-Cyanophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ca)



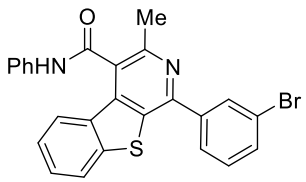
Prepared according to general procedure to afford **3ca** (35.2 mg, 42% yield) as a white solid; mp 289–290 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.07 (s, 1H), 8.22 (dd, J = 16.3, 8.1 Hz, 3H), 8.13 (t, J = 8.0 Hz, 3H), 7.84 (d, J = 7.8 Hz, 2H), 7.68 (t, J = 7.5 Hz, 1H), 7.53 (t, J = 7.6 Hz, 1H), 7.45 (t, J = 7.7 Hz, 2H), 7.21 (t, J = 7.2 Hz, 1H), 2.75 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.1, 150.4, 150.1, 143.4, 140.9, 139.5, 139.1, 133.4, 132.3, 131.4, 130.2, 129.6, 129.5, 127.1, 126.2, 124.9, 124.8, 124.2, 120.2, 119.0, 112.6, 21.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{18}N_3OS$ $[M+H]^+$ 420.1171, found 420.1184.

3-Methyl-N-phenyl-1-(p-tolyl)benzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3da)



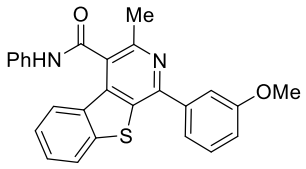
Prepared according to general procedure to afford **3da** (47.3 mg, 58% yield) as a white solid; mp 223–224 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.02 (s, 1H), 8.15 (dd, J = 18.3, 8.1 Hz, 2H), 7.97 (d, J = 8.1 Hz, 2H), 7.85 (d, J = 7.7 Hz, 2H), 7.66 (t, J = 7.6 Hz, 1H), 7.51 (t, J = 7.7 Hz, 1H), 7.43–7.47 (m, 4H), 7.21 (t, J = 7.4 Hz, 1H), 2.73 (s, 3H), 2.44 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.5, 152.4, 149.7, 141.1, 139.8, 139.8, 139.2, 139.1, 136.6, 132.6, 130.8, 129.9, 129.6, 128.5, 126.2, 126.0, 124.8, 124.7, 124.1, 120.2, 22.0, 21.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2OS$ $[M+H]^+$ 409.1375, found 409.1368.

1-(3-Bromophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ea)



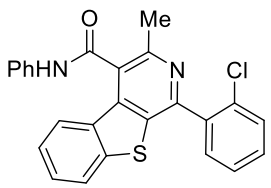
Prepared according to general procedure to afford **3ea** (69.8 mg, 74% yield) as a white solid; mp 235–236 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.05 (s, 1H), 8.19–8.23 (m, 2H), 8. 07–8.13 (m, 2H), 7.80–7.84 (m, 3H), 7.69 (t, J = 8.2 Hz, 1H), 7.63 (t, J = 7.9 Hz, 1H), 7.53 (t, J = 7.7 Hz, 1H), 7.45 (t, J = 7.9 Hz, 2H), 7.21 (t, J = 7.4 Hz, 1H),2.73 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.2, 150.6, 149.9, 141.4, 141.0, 139.4, 139.1, 132.9, 132.4, 131.6, 131.2, 131.1, 130.1, 129.6, 127.5, 126.8, 126.2, 124.9, 124.8, 124.2, 122.7, 120.2, 21.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}BrN_2OS$ $[M+H]^+$ 473.0323, found 473.0337.

1-(3-Methoxyphenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3fa)



Prepared according to general procedure to afford **3fa** (44.1 mg, 52% yield) as a white solid; mp 267–268 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.06 (s, 1H), 8.17 (dd, J = 12.2, 8.1 Hz, 2H), 7.86 (d, J = 7.6 Hz, 2H), 7.64–7.68 (m, 2H), 7.50–7.59 (m, 3H), 7.46 (t, J = 7.9 Hz, 2H), 7.16–7.23 (m, 2H), 3.89 (s, 3H), 2.75 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.5, 160.0, 152.2, 149.7, 141.2, 140.7, 139.2, 132.6, 131.2, 130.6, 129.9, 129.6, 129.4, 126.5, 126.0, 124.8, 124.8, 124.1, 120.8, 120.2, 115.6, 114.2, 55.8, 22.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2S$ $[M+H]^+$ 425.1324, found 425.1316.

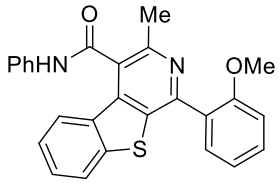
1-(2-Chlorophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ga)



Prepared according to general procedure to afford **3ga** (58.2 mg, 68% yield) as a white solid; mp 245–246 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.18 (s, 1H), 8.17 (t, J = 8.4 Hz, 2H), 7.90 (d, J = 7.6 Hz, 2H), 7.77 (d, J = 7.8 Hz, 1H), 7.62–7.73 (m, 4H), 7.57 (t, J = 8.2 Hz, 1H), 7.51 (t, J = 7.9 Hz, 2H), 7.27 (t, J = 7.4 Hz, 1H), 2.76 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.2, 151.8, 149.4, 141.2, 139.1, 138.4, 138.1, 133.3, 132.6, 132.1, 131.6, 131.3, 130.4, 130.0, 129.6, 128.2, 126.9, 126.1, 124.9, 124.8, 124.3, 120.3, 21.8 ppm; HRMS (ESI-TOF):

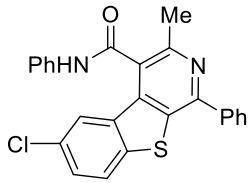
m/z calcd for $C_{25}H_{18}ClN_2OS$ $[M+H]^+$ 429.0828, found 429.0817.

1-(2-methoxyphenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ha)



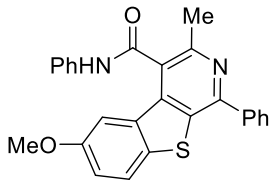
Prepared according to general procedure to afford **3ha** (56.0 mg, 66% yield) as a white solid; mp 272–273 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.10 (s, 1H), 8.09 (d, J = 8.1 Hz, 2H), 7.85 (d, J = 7.5 Hz, 2H), 7.61–7.65 (m, 1H), 7.54–7.58 (m, 1H), 7.41–7.51 (m, 4H), 7.26 (d, J = 8.1 Hz, 1H), 7.21 (t, J = 7.4 Hz, 1H), 7.15 (td, J = 7.4, 0.8 Hz, 1H), 3.78 (s, 3H), 2.69 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.6, 156.9, 152.2, 149.1, 141.3, 139.2, 137.8, 133.7, 132.6, 131.4, 130.9, 129.7, 129.6, 128.3, 126.3, 125.7, 124.8, 124.7, 124.1, 121.1, 120.2, 112.2, 55.8, 21.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2S$ $[M+H]^+$ 425.1324, found 425.1313.

6-Chloro-3-methyl-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ia)



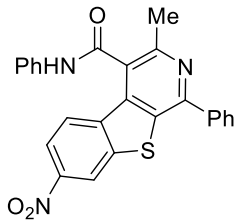
Prepared according to general procedure to afford **3ia** (41.1 mg, 48% yield) as a white solid; mp 256–257 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.10 (s, 1H), 8.24 (d, J = 8.6 Hz, 1H), 8.09 (d, J = 1.9 Hz, 1H), 8.04 (d, J = 6.9 Hz, 2H), 7.84 (d, J = 7.7 Hz, 2H), 7.73 (dd, J = 8.6, 2.0 Hz, 1H), 7.58–7.67 (m, 3H), 7.48 (t, J = 7.9 Hz, 2H), 7.24 (t, J = 7.4 Hz, 1H), 2.76 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.1, 152.8, 150.0, 139.8, 139.1, 138.9, 138.1, 134.0, 131.9, 130.8, 130.3, 129.9, 129.7, 129.4, 128.6, 126.3, 125.9, 125.1, 124.2, 120.3, 22.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}ClN_2OS$ $[M+H]^+$ 429.0828, found 429.0831.

6-Methoxy-3-methyl-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ja)



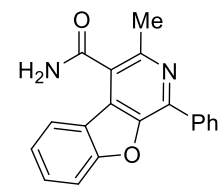
Prepared according to general procedure to afford **3ja** (25.4 mg, 32% yield) as a white solid; mp 287–288 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.08 (s, 1H), 8.04–8.07 (m, 3H), 7.88 (d, J = 7.6 Hz, 2H), 7.57–7.66 (m, 4H), 7.45 (t, J = 7.9 Hz, 2H), 7.31 (dd, J = 8.9, 2.5 Hz, 1H), 7.20 (t, J = 7.4 Hz, 1H), 3.51 (s, 3H), 2.74 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.5, 157.8, 152.6, 149.4, 139.4, 139.2, 139.0, 133.6, 133.1, 132.1, 130.1, 129.6, 129.3, 128.6, 126.4, 124.8, 119.9, 119.2, 118.6, 107.6, 55.4, 21.9 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2S$ $[M+H]^+$ 425.1324, found 425.1317.

3-Methyl-7-nitro-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ka)



Prepared according to general procedure to afford **3ka** (30.7 mg, 35% yield) as a white solid; mp 245–246 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.08 (s, 1H), 9.22 (d, J = 2.1 Hz, 1H), 8.36 (dd, J = 9.0, 2.2 Hz, 1H), 8.28 (d, J = 9.0 Hz, 1H), 8.03 (d, J = 6.8 Hz, 2H), 7.84 (d, J = 8.5 Hz, 2H), 7.60–7.69 (m, 3H), 7.46 (t, J = 7.9 Hz, 2H), 7.23 (t, J = 7.4 Hz, 1H), 2.76 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.8, 153.0, 150.5, 147.7, 141.8, 138.9, 138.9, 137.4, 137.3, 133.3, 130.4, 129.6, 129.5, 128.6, 126.8, 125.5, 125.0, 121.0, 120.4, 120.4, 22.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{18}N_3O_3S$ $[M+H]^+$ 440.1069, found 440.1094.

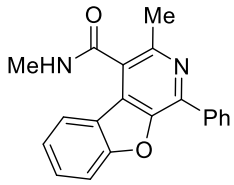
3-Methyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4a)



Prepared according to general procedure to afford **4a** (17.5 mg, 29% yield) as a white solid; mp 200–201 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.41 (d, J = 7.2 Hz, 2H), 8.33 (s, 1H), 8.08–8.11 (m, 2H), 7.91 (d, J = 8.3 Hz, 1H), 7.71–7.76 (m, 1H), 7.63 (t, J = 7.5 Hz, 2H), 7.50–7.56 (m, 2H), 2.71 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 168.6, 156.7, 148.4, 147.3, 140.2, 135.8,

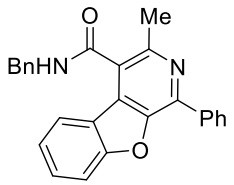
131.0, 130.0, 129.3, 129.2, 128.7, 125.7, 124.4, 123.7, 121.1, 113.1, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{19}H_{15}N_2O_2$ $[M+H]^+$ 303.1134, found 303.1134.

N,3-dimethyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4b)



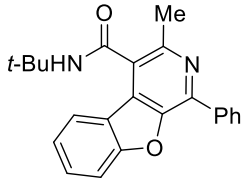
Prepared according to general procedure to afford **4b** (20.2 mg, 32% yield) as a white solid; mp 219–221 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.79–8.80 (m, 1H), 8.41 (d, J = 7.5 Hz, 2H), 7.91 (dd, J = 7.4, 4.9 Hz, 2H), 7.73 (t, J = 7.7 Hz, 1H), 7.63 (t, J = 7.4 Hz, 2H), 7.49–7.56 (m, 2H), 2.98 (d, J = 4.3 Hz, 3H), 2.66 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 167.0, 156.7, 148.4, 147.7, 140.4, 135.8, 131.0, 130.1, 129.7, 129.2, 128.8, 125.5, 124.5, 123.5, 121.1, 113.1, 26.5, 22.2 ppm; HRMS (ESI-TOF): m/z calcd for $C_{20}H_{17}N_2O_2$ $[M+H]^+$ 317.1290, found 317.1288.

N-benzyl-3-methyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4c)



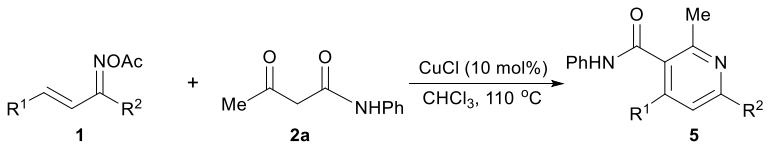
Prepared according to general procedure to afford **4c** (36.8 mg, 47% yield) as a white solid; mp 225–226 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.42 (t, J = 5.7 Hz, 1H), 8.41 (d, J = 7.4 Hz, 2H), 7.88 (d, J = 8.6 Hz, 1H), 7.69 (t, J = 7.0 Hz, 2H), 7.62 (t, J = 7.5 Hz, 2H), 7.54 (t, J = 7.2 Hz, 1H), 7.48 (d, J = 7.2 Hz, 2H), 7.41 (t, J = 7.4 Hz, 2H), 7.30–7.35 (m, 2H), 4.66 (d, J = 5.8 Hz, 2H), 2.67 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.5, 156.7, 148.4, 147.6, 140.5, 139.3, 135.7, 131.0, 130.1, 129.7, 129.2, 128.9, 128.8, 128.5, 127.6, 125.3, 124.2, 123.6, 121.0, 113.0, 43.3, 22.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{21}N_2O_2$ $[M+H]^+$ 393.1603, found 393.1597.

N-(tert-butyl)-3-methyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4d)



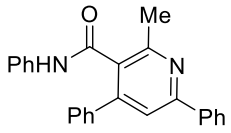
Prepared according to general procedure to afford **4d** (26.5 mg, 37% yield) as a white solid; mp 216–217 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.54 (s, 1H), 8.40 (d, J = 7.2 Hz, 2H), 8.03 (d, J = 7.4 Hz, 1H), 7.91 (d, J = 8.3 Hz, 1H), 7.73 (t, J = 8.4 Hz, 1H), 7.63 (t, J = 7.5 Hz, 2H), 7.51–7.56 (m, 2H), 2.68 (s, 3H), 1.51 (s, 9H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.1, 156.7, 148.4, 147.5, 140.0, 135.9, 131.0, 130.0, 129.4, 129.2, 128.7, 126.4, 124.4, 123.4, 121.3, 113.1, 51.7, 28.9, 22.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{23}H_{23}N_2O_2$ $[M+H]^+$ 359.1760, found 359.1750.

General experimental procedure for the preparation of 5



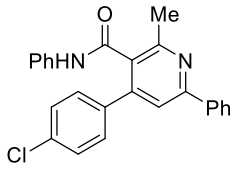
1 (0.2 mmol, 1.0 equiv), **2a** (0.6 mmol, 3.0 equiv), and CuCl (0.02 mmol) were loaded into a 10 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The Schlenk tube was placed under vacuum for 1 min and then N_2 was pumped into it. The solvent $CHCl_3$ (1 mL, 0.2 M) was added into the Schlenk tube by syringe. The reaction mixture was stirred at 110 °C for 12 h. After completion of the reaction (detected by TLC), the reaction tube was allowed to cool to room temperature and the reaction solution was concentrated under vacuum. The crude products were purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the products **5.**

2-Methyl-N,4,6-triphenylnicotinamide (5a)



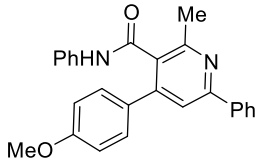
Prepared according to general procedure to afford **5a** (62.0 mg, 85% yield) as a white solid; mp 239−240 °C; ^{1}HNMR (400 MHz, Chloroform-d): δ 8.03 (d, *J* = 6.9 Hz, 2H), 7.60−7.54 (m, 3H), 7.48−7.40 (m, 6H), 7.24 (d, *J* = 9.3 Hz, 4H), 7.11−7.07 (m, 2H), 2.78 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-d) δ 167.0, 157.5, 156.4, 147.7, 138.8, 138.0, 137.1, 129.4, 129.0, 128.9, 128.1, 127.2, 125.0, 120.5, 118.6, 23.2 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1658.

4-(4-Chlorophenyl)-2-methyl-N,6-diphenylnicotinamide (5b)



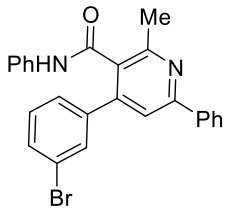
Prepared according to general procedure to afford **5b** (65.3 mg, 82% yield) as a white solid; mp 259–260 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.48 (s, 1H), 8.23 (s, 2H), 7.88–7.05 (m, 13H), 2.63 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.7, 155.1, 154.7, 148.0, 139.0, 138.3, 137.4, 134.7, 131.3, 129.2, 129.2, 129.1, 129.1, 128.9, 128.7, 124.4, 120.2, 118.7, 23.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{20}ClN_2O$ $[M+H]^+$ 399.1264, found 399.1285.

4-(4-Methoxyphenyl)-2-methyl-N,6-diphenylnicotinamide (5c)



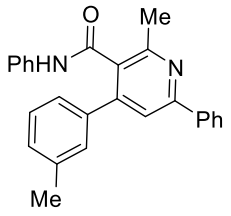
Prepared according to general procedure to afford **5c** (68.6 mg, 87% yield) as a white solid; mp 315–316 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.55 (s, 1H), 8.21 (d, *J* = 7.4 Hz, 2H), 7.85 (s, 1H), 7.65–7.61 (m, 4H), 7.55–7.46 (m, 3H), 7.32 (t, *J* = 7.7 Hz, 2H), 7.09 (t, *J* = 7.3 Hz, 1H), 7.02 (d, *J* = 8.6 Hz, 2H), 3.75 (s, 3H), 2.66 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 167.2, 160.1, 156.0, 155.0, 147.5, 139.2, 138.8, 130.9, 130.7, 130.1, 129.7, 129.2, 127.4, 127.2, 124.3, 120.2, 118.6, 114.5, 55.6, 23.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{23}N_2O$ $[M+H]^+$ 395.1760, found 395.1689.

4-(3-Bromophenyl)-2-methyl-N,6-diphenylnicotinamide (5d)



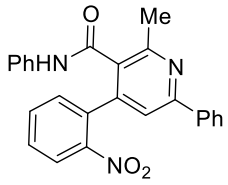
Prepared according to general procedure to afford **5d** (74.3 mg, 84% yield) as a white solid; mp 286–287 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.57 (s, 1H) 8.49 (s, 1H), 8.25 (d, *J* = 7.8 Hz, 1H), 7.98 (s, 1H), 7.69 (t, *J* = 9.5 Hz, 3H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.50–7.39 (m, 4H), 7.32 (t, *J* = 7.8 Hz, 2H), 7.09 (t, *J* = 7.4 Hz, 1H), 2.70 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.7, 155.3, 154.3, 148.1, 140.9, 139.1, 138.3, 132.5, 131.6, 131.4, 129.9, 129.2, 129.1, 128.9, 128.8, 126.4, 124.4, 122.9, 120.2, 119.1, 23.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{20}BrN_2O$ $[M+H]^+$ 443.0759, found 443.0778.

2-Methyl-N,6-diphenyl-4-(m-tolyl)nicotinamide (5e)



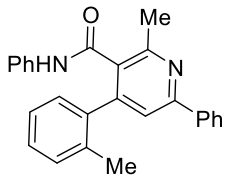
Prepared according to general procedure to afford **5e** (60.5 mg, 80% yield) as a white solid; mp 245–246 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.50 (s, 1H) , 8.02 (s, 1H), 7.97 (d, *J* = 7.6 Hz, 1H), 7.82 (s, 1H), 7.63 (d, *J* = 7.2 Hz, 2H), 7.53 (d, *J* = 7.8 Hz, 2H), 7.43–7.35 (m, 4H), 7.28–7.24 (m, 3H), 7.03 (t, *J* = 7.2 Hz, 1H), 2.64 (s, 3H), 2.38 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.9, 156.2, 155.0, 147.9, 139.1, 138.6, 138.6, 138.4, 131.0, 130.5, 129.2, 129.1, 129.0, 128.9, 128.7, 127.9, 124.6, 124.3, 120.2, 118.7, 23.1, 21.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1858.

2-Methyl-4-(2-nitrophenyl)-N,6-diphenylnicotinamide (5f)



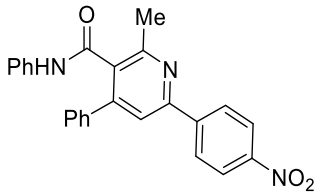
Prepared according to general procedure to afford **5f** (58.9 mg, 72% yield) as a white solid; mp 342–343 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.39 (s, 1H), 8.20 (d, J = 7.1 Hz, 2H), 8.16 (d, J = 8.1 Hz, 1H), 7.92 (s, 1H), 7.81 (t, J = 7.4 Hz, 1H), 7.66 (t, J = 7.8 Hz, 1H), 7.60 (d, J = 7.5 Hz, 1H), 7.55–7.48 (m, 3H), 7.44 (d, J = 7.9 Hz, 2H), 7.27 (t, J = 7.8 Hz, 2H), 7.05 (t, J = 7.3 Hz, 1H), 2.68 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.6, 155.7, 154.4, 148.0, 146.1, 138.8, 138.3, 133.9, 133.0, 132.3, 130.4, 130.0, 129.3, 129.2, 128.9, 127.3, 124.9, 124.4, 120.2, 118.0, 23.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{20}N_3O_3$ $[M+H]^+$ 410.1505, found 410.1515.

2-Methyl-N,6-diphenyl-4-(o-tolyl)nicotinamide (5g)



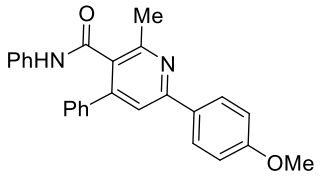
Prepared according to general procedure to afford **5g** (65.8 mg, 87% yield) as a white solid; mp 256–257 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.60 (s, 1H), 7.65 (d, J = 7.3 Hz, 2H), 7.59 (d, J = 8.0 Hz, 2H), 7.52 (d, J = 6.7 Hz, 1H), 7.45–7.29 (m, 9H), 7.08 (t, J = 7.3 Hz, 1H), 2.66 (s, 3H), 2.46 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.9, 159.1, 154.5, 147.2, 140.0, 139.1, 138.4, 136.0, 131.2, 130.4, 130.2, 129.2, 129.0, 128.9, 128.7, 126.4, 124.4, 122.2, 120.2, 22.9, 20.7 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1818.

2-Methyl-6-(4-nitrophenyl)-N,4-diphenylnicotinamide (5h)



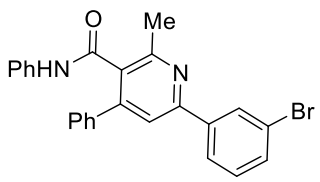
Prepared according to general procedure to afford **5h** (61.4 mg, 75% yield) as a white solid; mp 325–326 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.61 (s, 1H), 8.30 (d, J = 8.5 Hz, 2H), 8.22 (d, J = 7.3 Hz, 2H), 7.95 (s, 1H), 7.90 (d, J = 8.5 Hz, 2H), 7.55–7.47 (m, 5H), 7.30 (t, J = 7.7 Hz, 2H), 7.08 (t, J = 7.3 Hz, 1H), 2.69 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.3, 156.4, 155.3, 147.9, 146.0, 145.0, 138.9, 138.4, 130.7, 130.2, 130.0, 129.3, 127.4, 124.6, 124.0, 120.2, 118.5, 23.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{20}N_3O_3$ $[M+H]^+$ 410.1505, found 410.1536.

6-(4-Methoxyphenyl)-2-methyl-N,4-diphenylnicotinamide (5i)



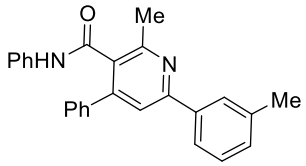
Prepared according to general procedure to afford **5i** (65.8 mg, 88% yield) as a white solid; mp 316–317 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.45 (s, 1H), 8.16 (d, J = 8.7 Hz, 2H), 7.78 (s, 1H), 7.61 (d, J = 7.2 Hz, 2H), 7.50 (d, J = 7.9 Hz, 2H), 7.46–7.37 (m, 3H), 7.28 (t, J = 7.8 Hz, 2H), 7.07–7.05 (m, 3H), 3.83 (s, 3H), 2.61 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.9, 160.9, 155.8, 154.7, 147.8, 139.1, 138.6, 131.1, 130.3, 129.2, 128.9, 128.8, 128.7, 124.3, 120.1, 118.0, 117.7, 114.6, 55.7, 23.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{23}N_2O$ $[M+H]^+$ 395.1760, found 395.1795.

6-(3-Bromophenyl)-2-methyl-N,4-diphenylnicotinamide (5j)



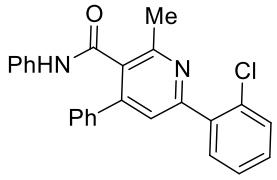
Prepared according to general procedure to afford **5j** (73.4 mg, 83% yield) as a white solid; mp 294–295 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.55 (s, 1H), 8.23 (d, J = 7.2 Hz, 2H), 7.92 (s, 1H), 7.87 (s, 1H), 7.64–7.60 (m, 2H), 7.54–7.46 (m, 5H), 7.40 (t, J = 7.9 Hz, 1H), 7.32 (t, J = 7.8 Hz, 2H), 7.09 (t, J = 7.4 Hz, 1H), 2.66 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.6, 156.2, 155.1, 146.3, 140.7, 138.9, 138.5, 131.8, 131.5, 131.0, 130.8, 129.9, 129.2, 127.8, 127.5, 124.5, 122.2, 120.3, 118.6, 23.1 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{20}BrN_2O$ $[M+H]^+$ 443.0759, found 443.0765.

2-Methyl-N,4-diphenyl-6-(m-tolyl)nicotinamide (5k)



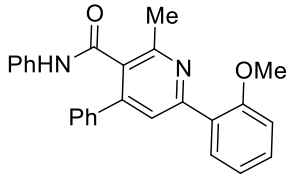
Prepared according to general procedure to afford **5k** (73.3 mg, 97% yield) as a white solid; mp 266–267 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.24 (d, J = 7.3 Hz, 2H), 7.88 (s, 1H), 7.61 (d, J = 7.8 Hz, 2H), 7.56–7.49 (m, 5H), 7.33 (t, J = 7.3 Hz, 3H), 7.22 (d, J = 7.3 Hz, 1H), 7.09 (t, J = 7.1 Hz, 1H), 2.70 (s, 3H), 2.33 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 167.0, 156.1, 155.0, 148.0, 139.1, 138.7, 138.5, 138.2, 131.1, 129.8, 129.7, 129.5, 129.2, 129.2, 128.8, 127.4, 125.8, 124.4, 120.3, 118.7, 23.1, 21.5 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1832.

6-(2-Chlorophenyl)-2-methyl-N,4-diphenylnicotinamide (5l)



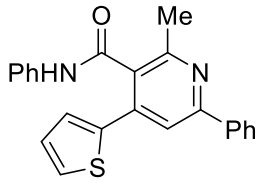
Prepared according to general procedure to afford **5l** (72.5 mg, 82% yield) as a white solid; mp 282–283 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.49 (s, 1H), 8.18 (d, J = 7.3 Hz, 2H), 7.82 (s, 1H), 7.56–7.47 (m, 7H), 7.41–7.36 (m, 2H), 7.29 (t, J = 7.8 Hz, 2H), 7.06 (t, J = 7.3 Hz, 1H), 2.70 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 165.8, 155.6, 154.9, 146.0, 139.0, 138.4, 136.9, 132.2, 131.8, 131.2, 130.6, 129.9, 129.9, 129.3, 129.2, 127.3, 127.2, 124.3, 120.1, 119.3, 23.3 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{20}ClN_2O$ $[M+H]^+$ 399.1264, found 399.1276.

6-(2-Methoxyphenyl)-2-methyl-N,4-diphenylnicotinamide (5m)



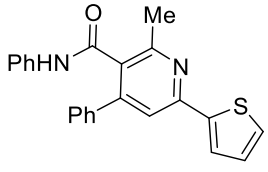
Prepared according to general procedure to afford **5m** (69.3 mg, 88% yield) as a white solid; mp 326–327 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.39 (s, 1H), 8.16 (d, J = 6.4 Hz, 2H), 7.77 (s, 1H), 7.60 (d, J = 7.1 Hz, 2H), 7.52–7.30 (m, 7H), 7.06–7.01 (m, 3H), 3.69 (s, 3H), 2.70 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.5, 156.5, 155.5, 154.6, 145.9, 139.4, 138.8, 132.2, 130.7, 130.4, 129.7, 129.3, 129.2, 127.3, 127.2, 124.1, 120.6, 120.0, 111.7, 55.9, 23.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{26}H_{23}N_2O$ $[M+H]^+$ 395.1760, found 395.1775.

2-Methyl-N,6-diphenyl-4-(thiophen-2-yl)nicotinamide (5n)



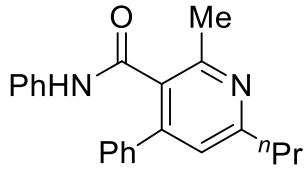
Prepared according to general procedure to afford **5n** (59.2 mg, 80% yield) as a white solid; mp 232–233 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.77 (s, 1H), 8.19 (d, J = 7.3 Hz, 2H), 7.99 (s, 1H), 7.73 (d, J = 4.9 Hz, 1H), 7.70–7.66 (m, 3H), 7.56–7.47 (m, 3H), 7.36 (t, J = 7.8 Hz, 2H), 7.19–7.11 (m, 2H), 2.62 (s, 3H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.8, 156.2, 155.4, 140.0, 139.2, 139.2, 138.5, 129.9, 129.6, 129.5, 129.4, 129.3, 128.8, 128.6, 127.4, 124.5, 120.1, 117.3, 23.0 ppm; HRMS (ESI-TOF): m/z calcd for $C_{23}H_{19}N_2OS$ $[M+H]^+$ 371.1218, found 371.1210.

2-Methyl-N,4-diphenyl-6-(thiophen-2-yl)nicotinamide (5o)



Prepared according to general procedure to afford **5o** (60.7 mg, 82% yield) as a white solid; mp 236–237 °C;^{1}H NMR (400 MHz, DMSO-d_6) δ 10.48 (s, 1H), 7.98 (d, J = 3.5 Hz, 1H), 7.88 (s, 1H), 7.68 (d, J = 5.0 Hz, 1H), 2.60 (s, 3H), 7.62 (d, J = 7.1 Hz, 2H), 7.53 (d, J = 7.9 Hz, 2H), 7.47–7.38 (m, 3H), 7.30 (t, J = 7.8 Hz, 2H), 7.17–7.21 (m, 1H), 7.07 (t, J = 7.4 Hz, 1H) ppm; ^{13}C NMR (100 MHz, DMSO-d_6) δ 166.6, 155.0, 151.8, 148.0, 144.4, 139.0, 138.3, 130.8, 129.4, 129.2, 129.1, 129.0, 128.9, 128.7, 126.6, 124.4, 120.2, 116.9, 22.8 ppm; HRMS (ESI-TOF): m/z calcd for $C_{23}H_{19}N_2OS$ $[M+H]^+$ 371.1218, found 371.1242.

2-Methyl-N,4-diphenyl-6-propylnicotinamide (5p)



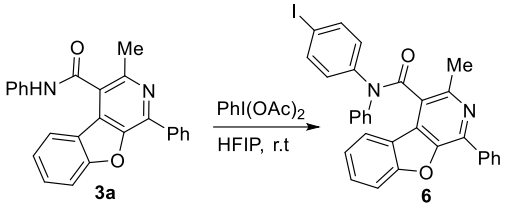
Prepared according to general procedure to afford **5p** (33 mg, 50% yield) as a white solid; mp 205–206 °C; ^{1}H NMR (400 MHz, Chloroform-d) δ 7.96 (d, *J* = 6.9 Hz, 2H), 7.86 (s, 1H), 7.68 (d, *J* = 7.8 Hz, 2H), 7.49–7.39 (m, 5H), 7.37 (s, 1H), 7.20 (t, *J* = 7.4 Hz, 1H), 2.65 (s, 3H), 2.55–2.51 (m, 2H), 1.71–1.62 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-d) δ 167.2, 157.1, 154.4, 149.3, 139.0, 137.6, 131.2, 129.3, 129.2, 128.8, 127.1, 125.0, 120.0, 118.3, 34.8, 23.6, 22.8, 14.1; HRMS (ESI-TOF): m/z calcd for $C_{22}H_{23}IN_2O$ $[M+H]^+$ 331.1810, found 331.1816.

Gram-scale synthesis and synthetic applications

Typical procedure for the preparation of 3a on 10 mmol scale

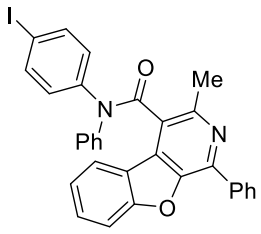
1 (10 mmol, 1.0 equiv), **2a** (30 mmol, 3.0 equiv) and CuCl (1 mmol) were loaded into a 100 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The Schlenk tube was placed under vacuum for 1 min and then N_2 was pumped into it. The solvent $CHCl_3$ (50 mL, 0.2 M) was added into the Schlenk tube by syringe. The reaction mixture was stirred at 110 °C for 12 h. After completion of the reaction (detected by TLC), the reaction tube was allowed to cool to room temperature and the reaction solution was concentrated under vacuum. The crude product was purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the product **3a** in 48% yield (1.81 g).

General experimental procedure for the preparation of 6



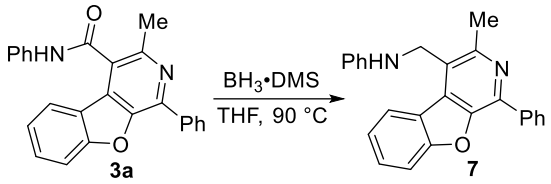
3a (75.6 mg, 0.2 mmol) and $PhI(OAc)_2$ (193.2 mg, 0.6 mmol) were loaded into a 10 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The solvent HFIP (2 mL, 0.1 M) was added into the Schlenk tube by syringe. The reaction mixture was stirred at room temperature for 4 h. After completion of the reaction (detected by TLC), the reaction solution was concentrated under vacuum. The crude product was purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the product **6** in 88% yield (102.1 mg).

N-(4-iodophenyl)-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (6)



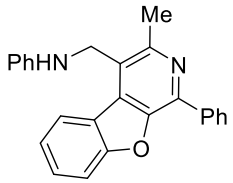
102.1mg, 88% yield; Yellow solid; mp 271–273 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.37–8.43 (m, 2H), 8.14 (t, *J* = 6.9 Hz, 1H), 7.79 (d, *J* = 8.7 Hz, 1H), 7.69–7.74 (m, 1H), 7.65 (t, *J* = 7.7 Hz, 1H), 7.42–7.55 (m, 6H), 7.27–7.35 (m, 2H), 7.02 (d, *J* = 3.0 Hz, 3H), 6.78 (d, *J* = 8.7 Hz, 1H), 2.72 (d, *J* = 7.5 Hz, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 168.0, 157.0, 146.3, 142.1, 141.7, 141.3, 138.4, 138.2, 135.6, 130.2, 129.5, 129.2, 128.9, 128.8, 128.7, 128.6, 128.1, 127.9, 127.2, 126.5, 123.9, 123.1, 121.3, 112.6, 22.4 ppm; HRMS (ESI-TOF): m/z calcd for $C_{31}H_{22}IN_2O_2$ $[M+H]^+$ 581.0726, found 581.0735.

General experimental procedure for the preparation of 7



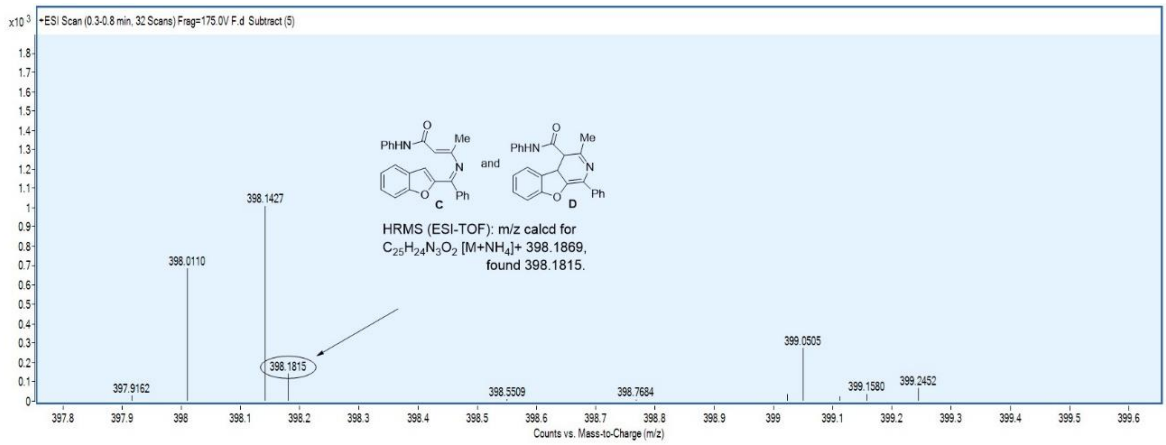
3a (75.6 mg, 0.2 mmol) and BH_3•DMS (1 mmol, 2.0 mol/L in THF) were loaded into a 10 mL Schlenk tube equipped with a Teflon-coated magnetic stir bar. The solvent THF (2 mL, 0.1 M) was added into the Schlenk tube by syringe. The reaction mixture was stirred at 90 °C for 12 h. After completion of the reaction (detected by TLC), the reaction tube was allowed to cool to room temperature and the reaction solution was concentrated under vacuum. The crude products were purified by column chromatography on silica gel (Petroleum Ether/EtOAc) to give the product **7** in 36% yield (26.2 mg).

N-((3-methyl-1-phenylbenzofuro[2,3-*c*]pyridin-4-yl)methyl)aniline (7)



26.2 mg, 36% yield; White oil; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 7.1 Hz, 2H), 7.95 (d, *J* = 7.6 Hz, 1H), 7.69 (d, *J* = 8.3 Hz, 1H), 7.58 (t, *J* = 8.1 Hz, 3H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.26–7.29 (m, 4H), 6.79–6.89 (m, 3H), 4.77 (s, 2H), 2.84 (s, 3H) ppm; ^{13}C NMR (100 MHz, Chloroform-*d*) δ 156.9, 150.4, 149.1, 148.1, 140.8, 136.1, 132.0, 129.6, 129.5, 129.3, 128.7, 128.6, 124.2, 123.8, 123.7, 122.0, 118.1, 112.9, 112.5, 43.1, 21.6 ppm; HRMS (ESI-TOF): m/z calcd for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1641.

HRMS (ESI-TOF) analysis of the reaction mixture



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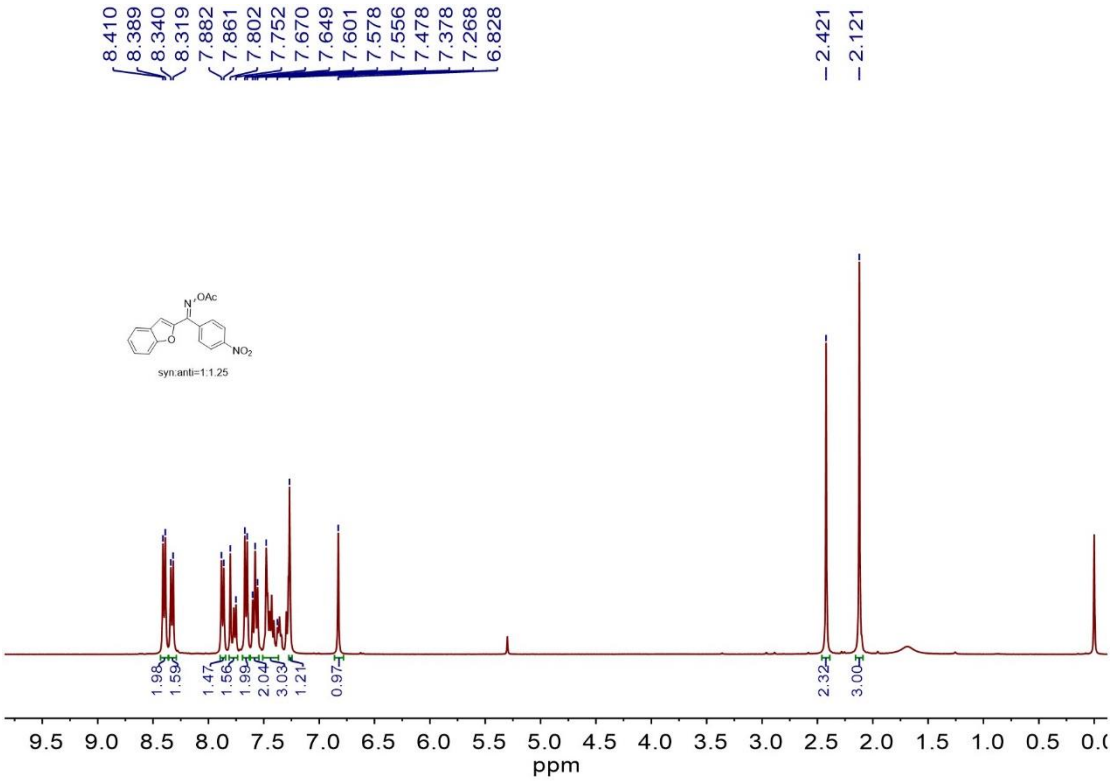
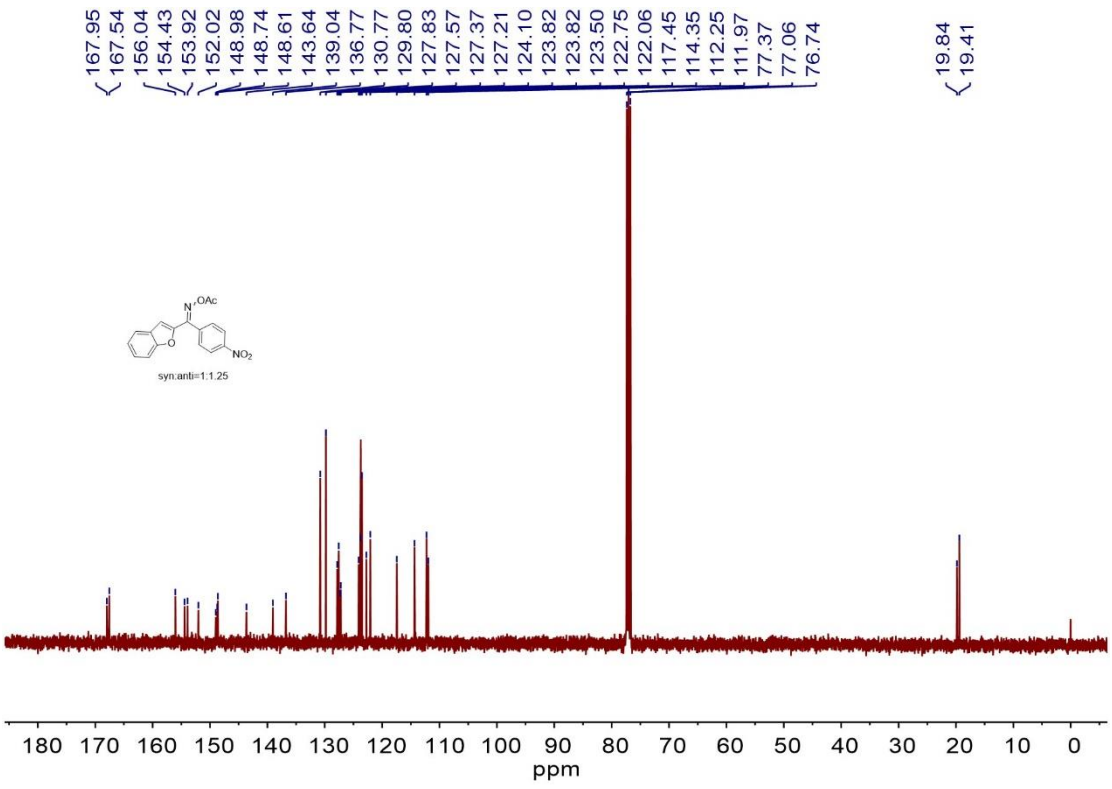
1. X. Wang, L. Liu, Y. Li, C. Sun, W. Chen, L. Li, H. Zhang, X. Yang, *Eur. J. Med. Chem.*, 2013, **62**, 111−121.
2. T. B. Nguyen, P. Retailleau, *Org. Lett.*, 2017, **19**, 4858−4860.
3. B. Li, S. Mai, Q. Song, *Org. Chem. Front.*, 2018, **5**, 1639–1642.
4. G. C. Senadi, M. R. Murtha, T. Y. Lu, J. J. Wang, *Green Chem.*, 2017, **19**, 4272–4277.
5. Z. Zhu, X. Tang, J. Li, X. Li, W. Wu, G. Deng, H. Jiang, *Org. Lett.*, 2017, **19**, 1370−1373.

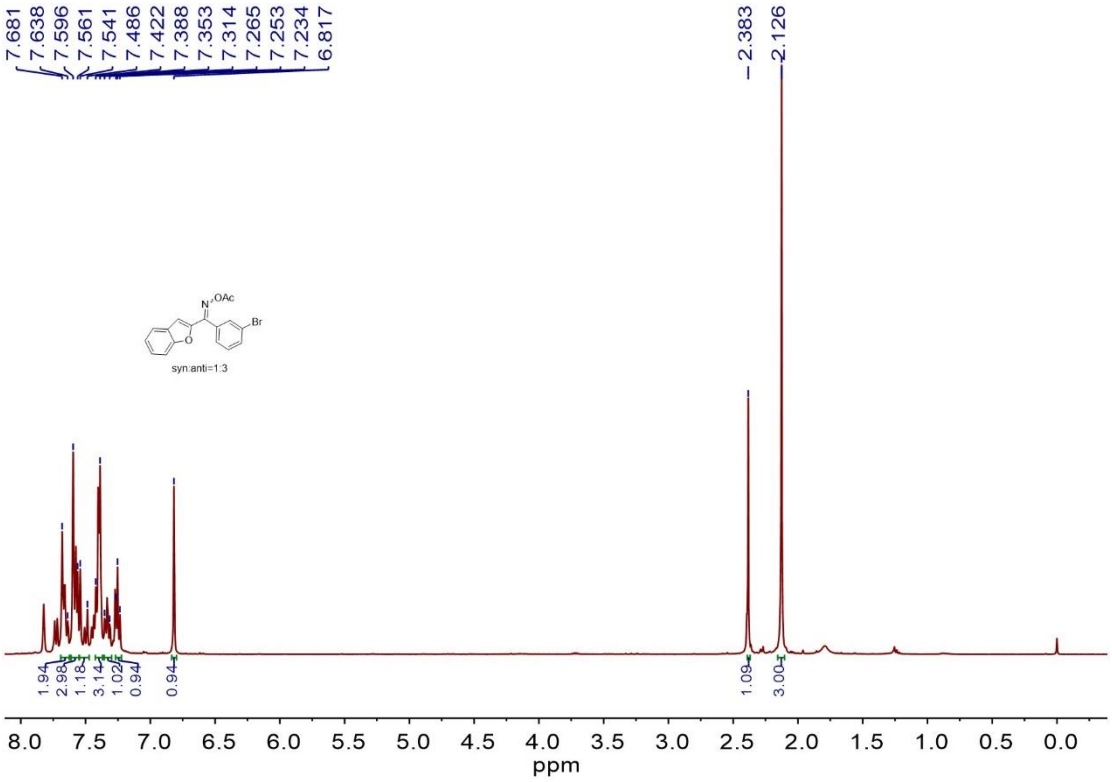
NMR Spectra of compounds

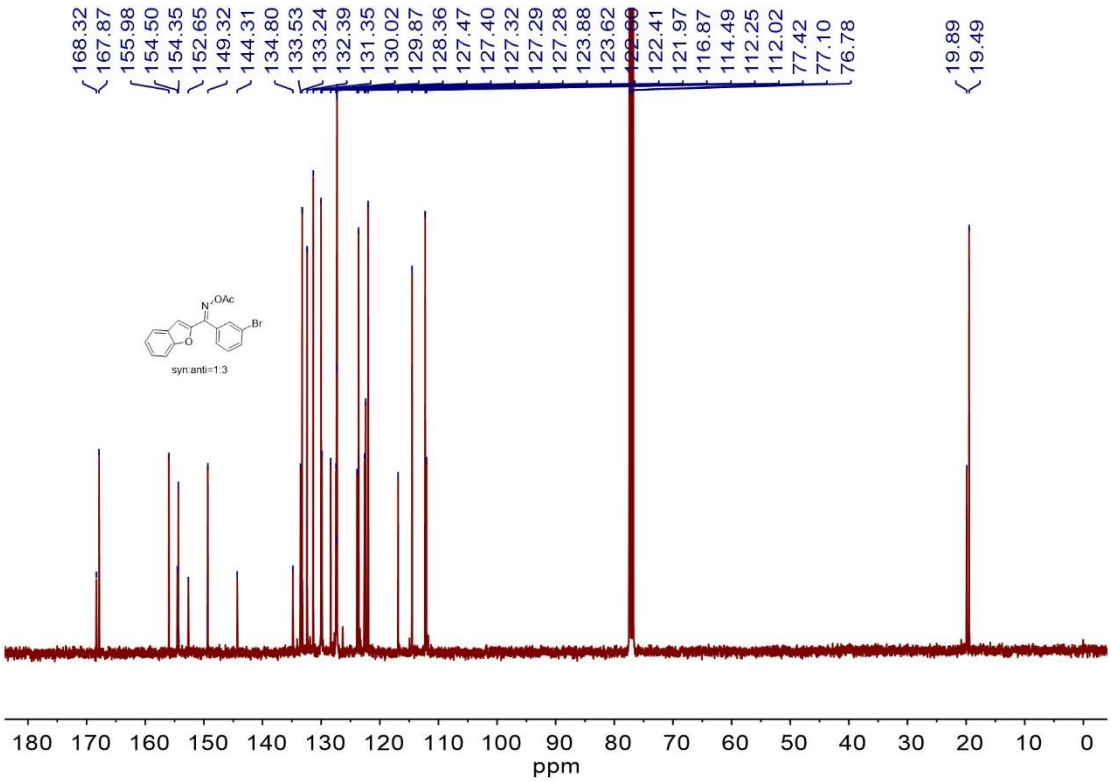
Benzofuran-2-yl(4-nitrophenyl)methanone O-acetyl oxime (1c)



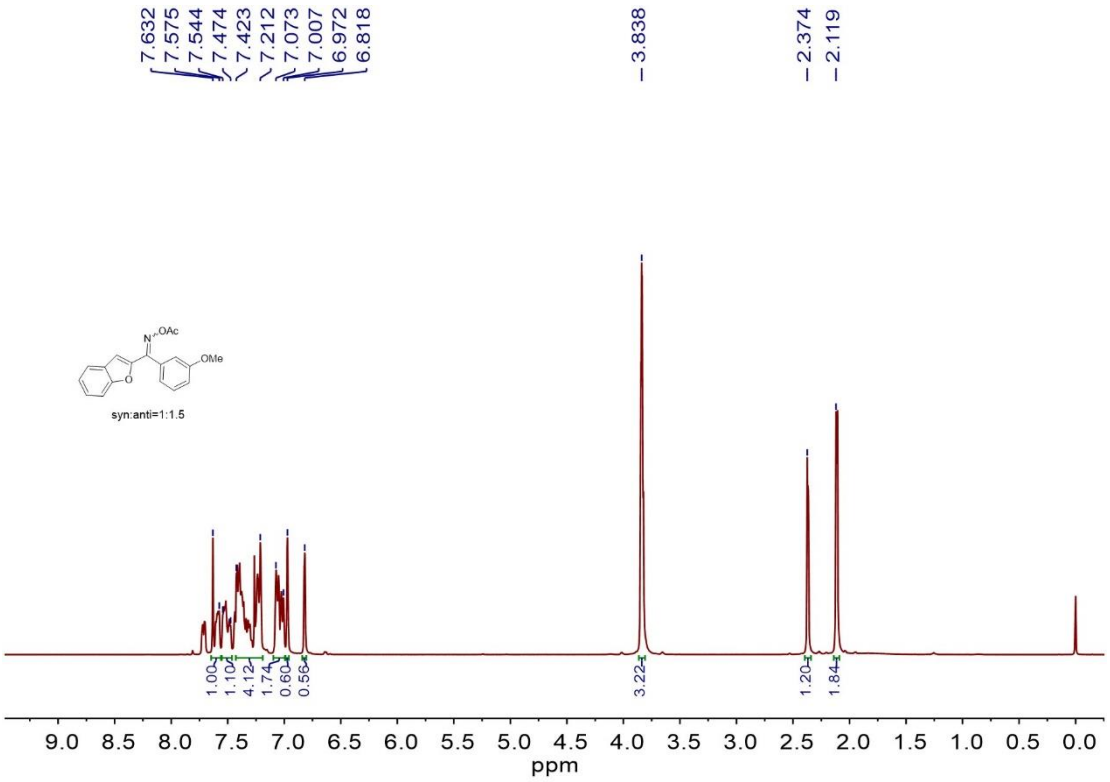


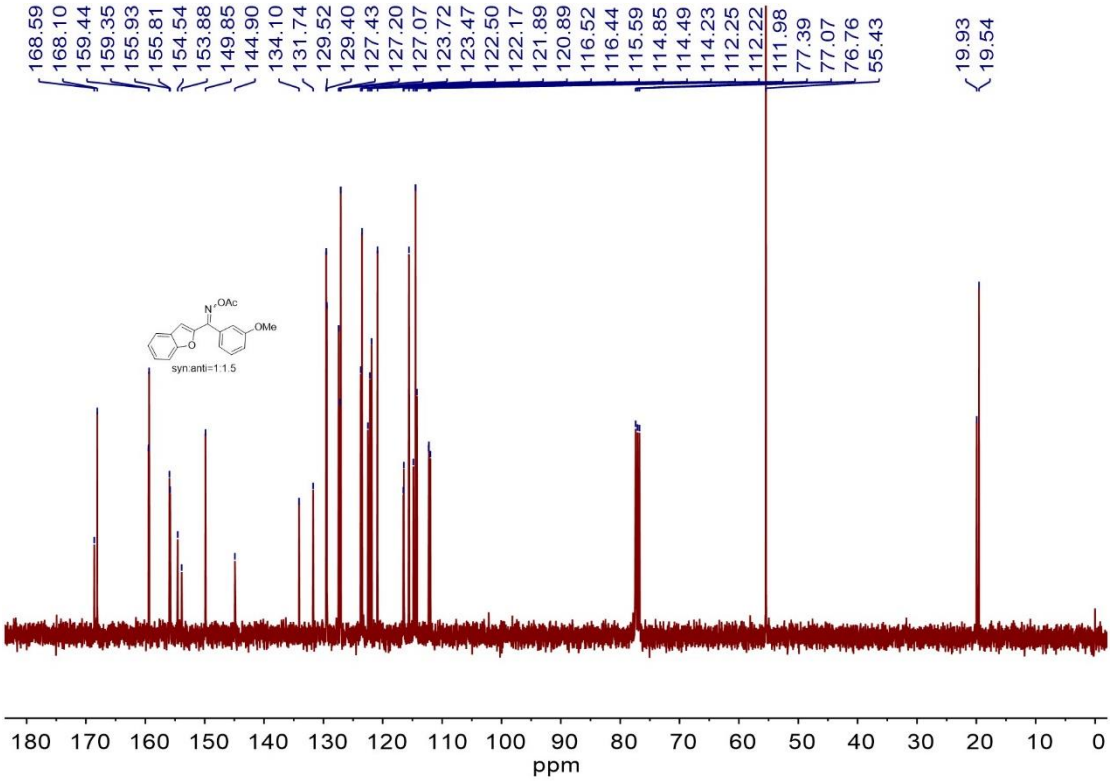
Benzofuran-2-yl(3-bromophenyl)methanone O-acetyl oxime (1f)



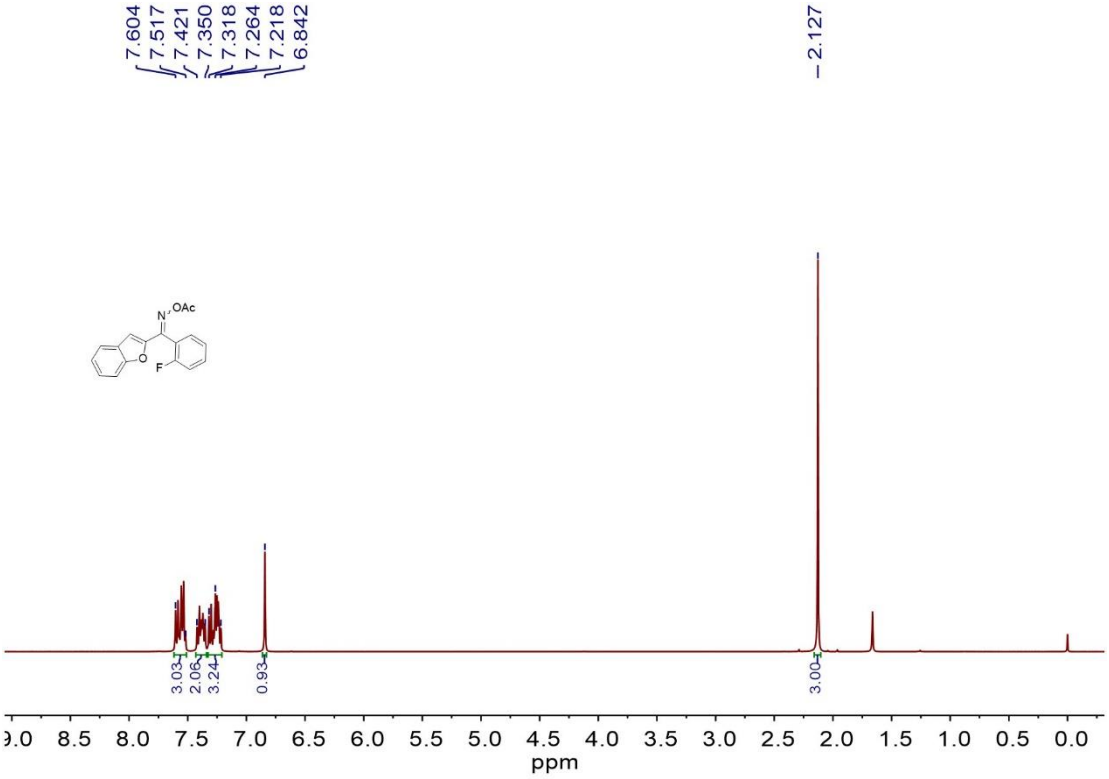


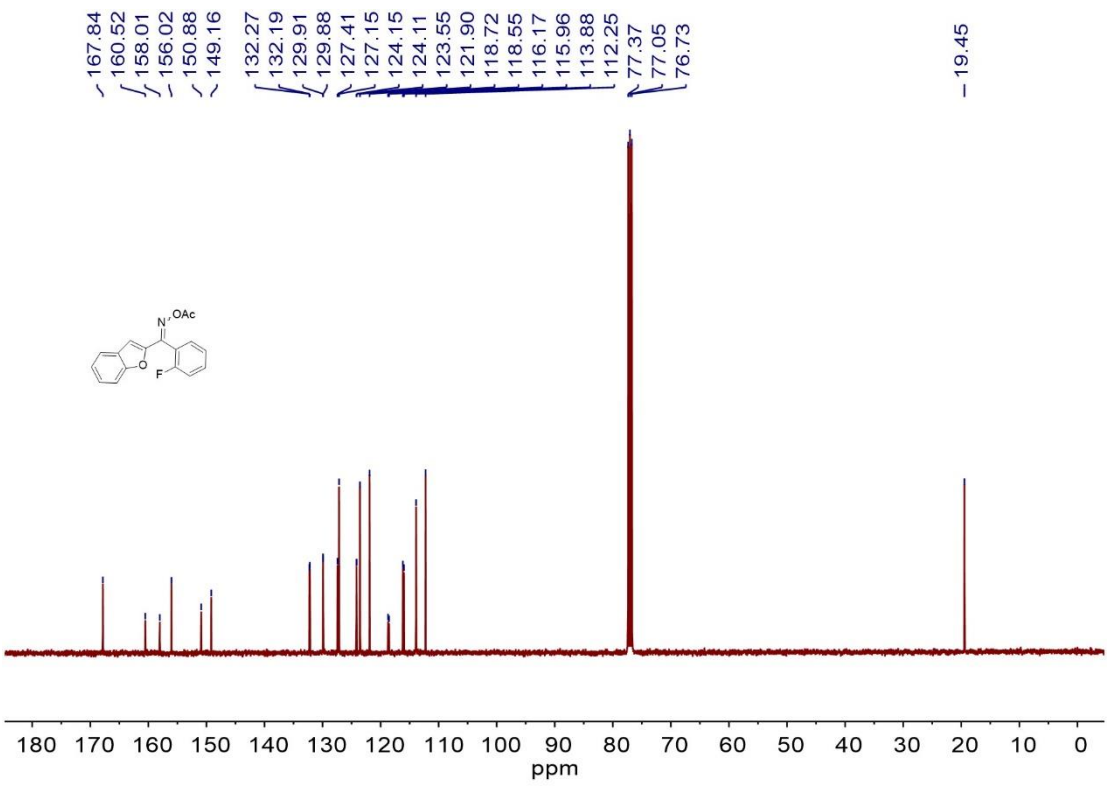
Benzofuran-2-yl(3-methoxyphenyl)methanone O-acetyl oxime (1g)



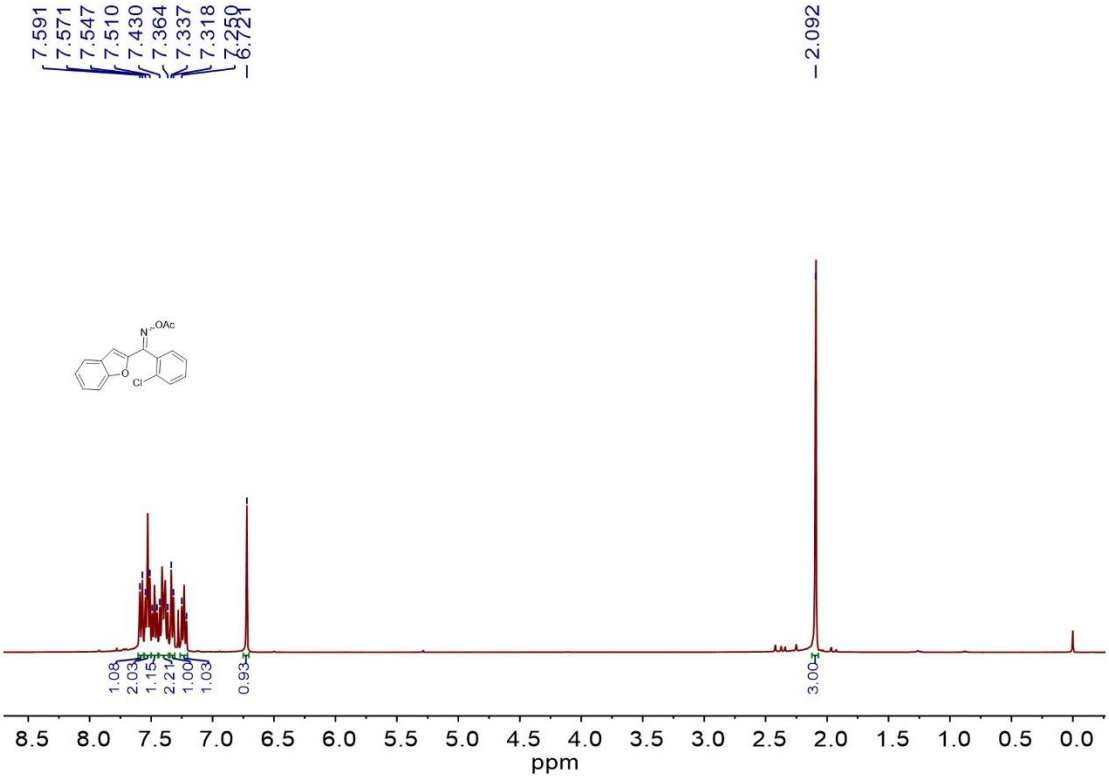


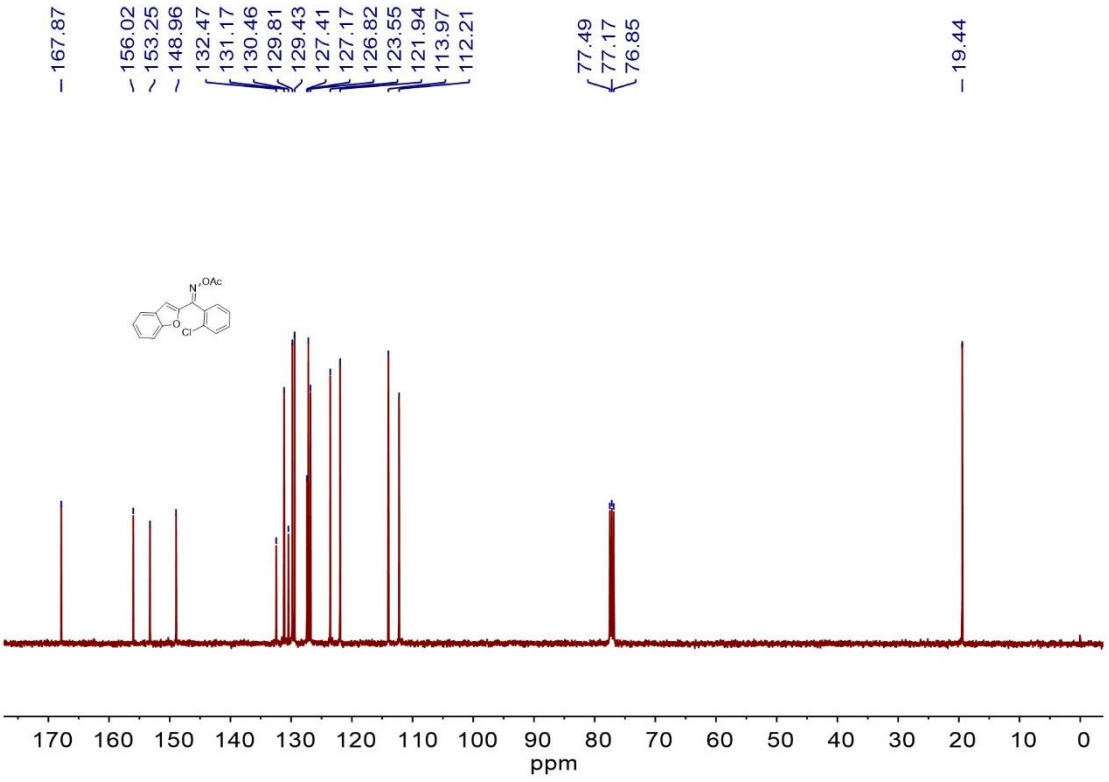
Benzofuran-2-yl(2-fluorophenyl)methanone O-acetyl oxime (1h)



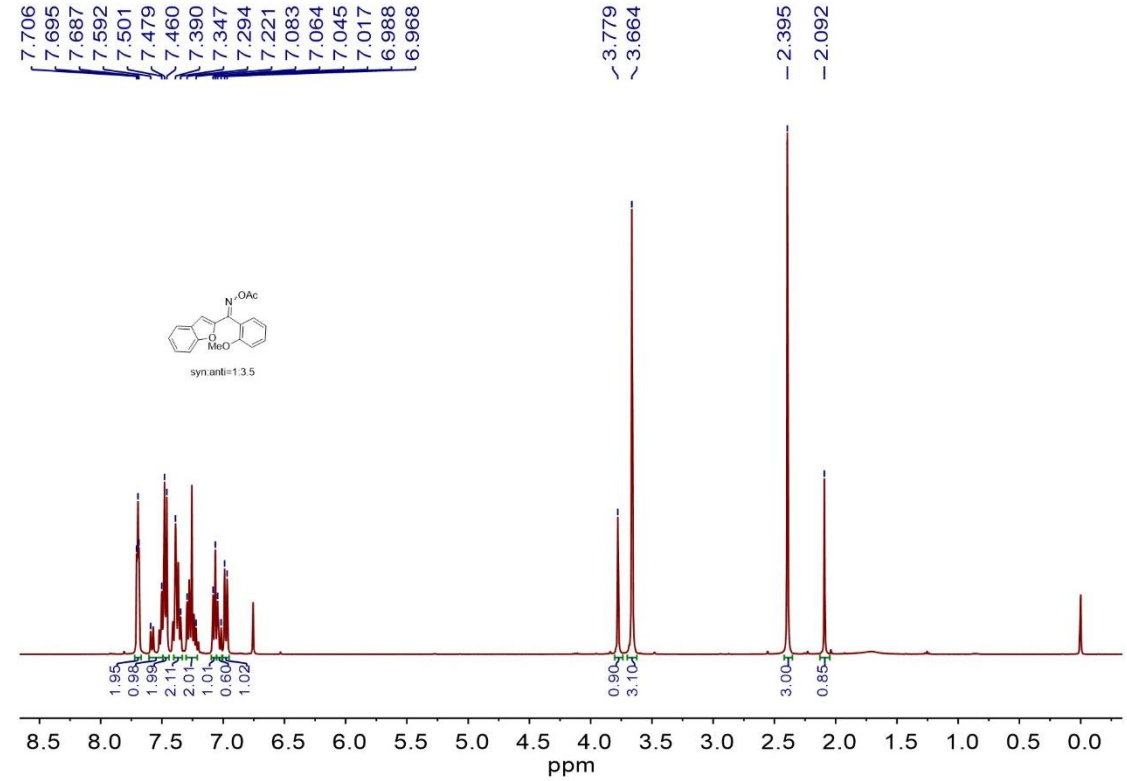


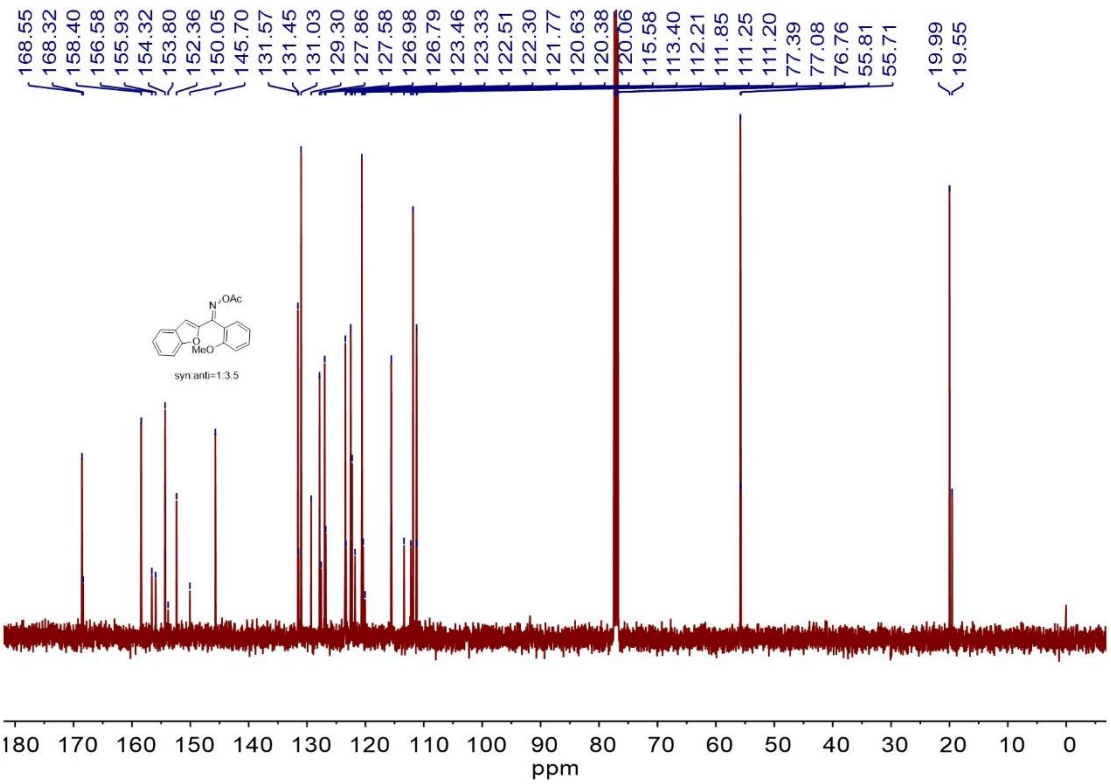
Benzofuran-2-yl(2-chlorophenyl)methanone O-acetyl oxime (1i)



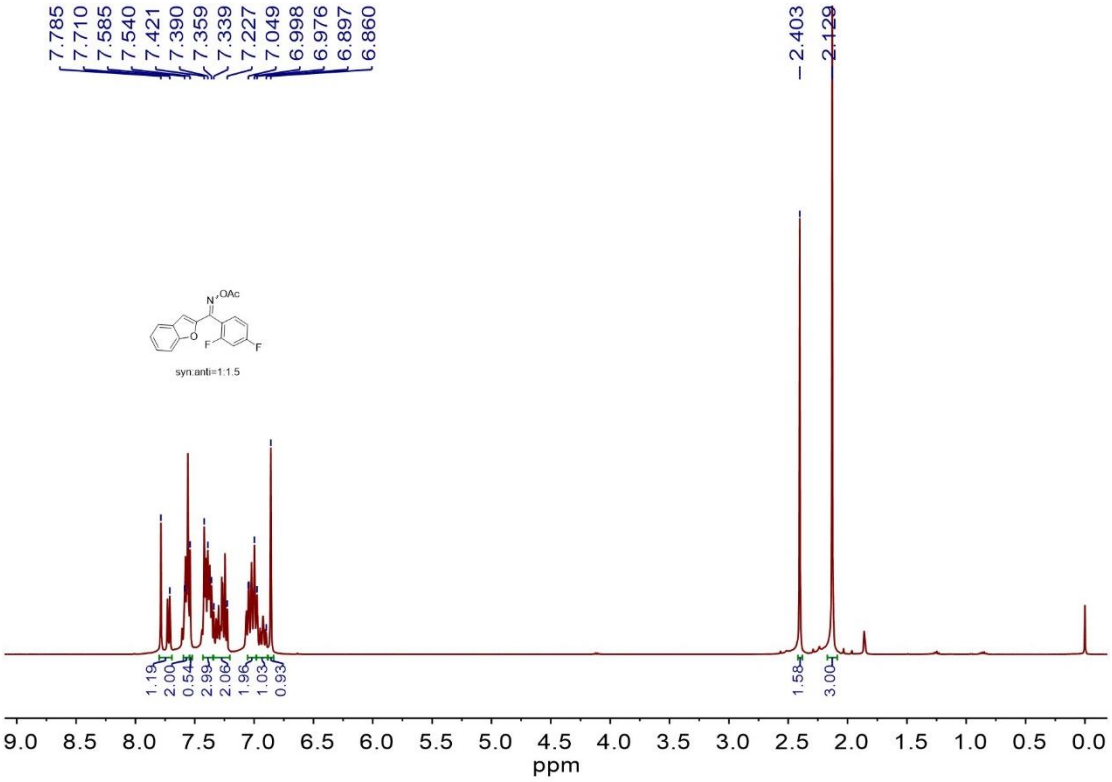


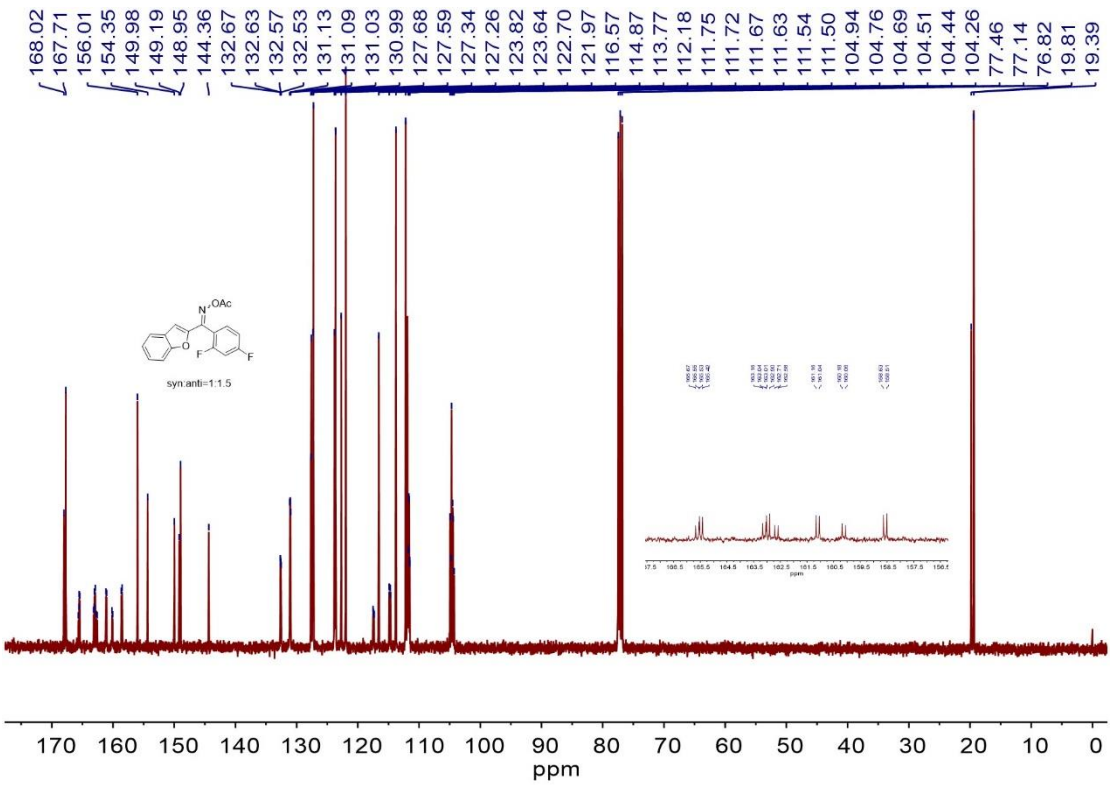
Benzofuran-2-yl(2-methoxyphenyl)methanone O-acetyl oxime (1j)



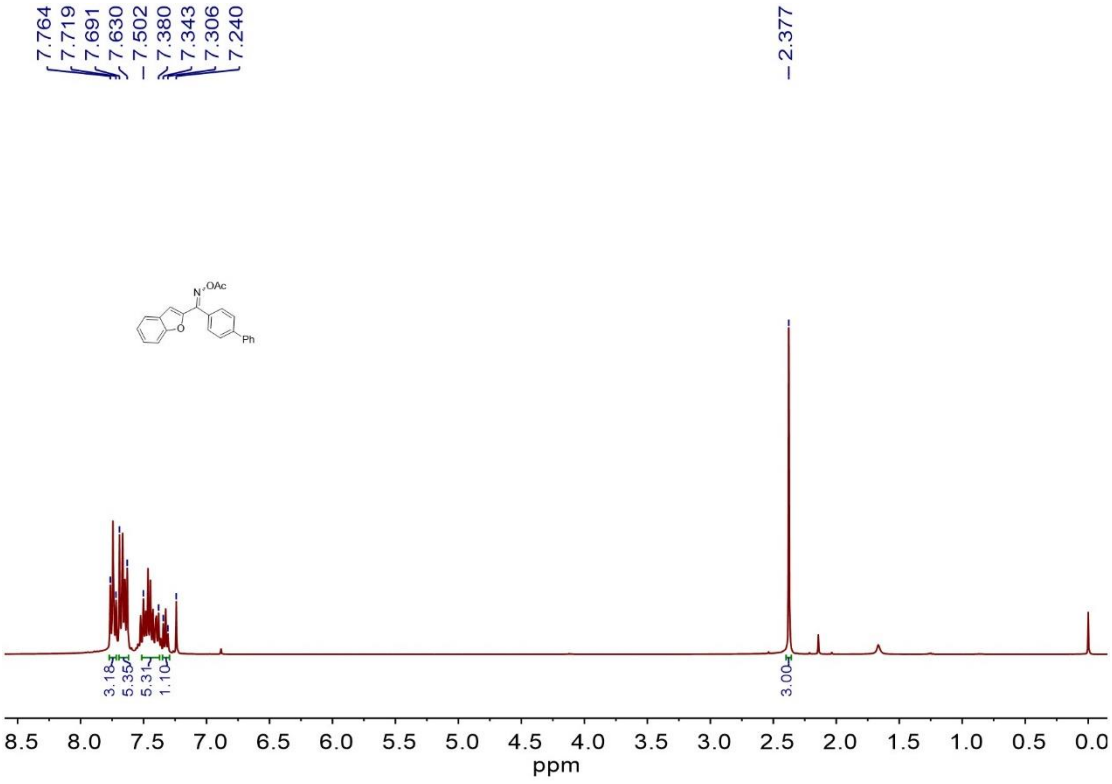


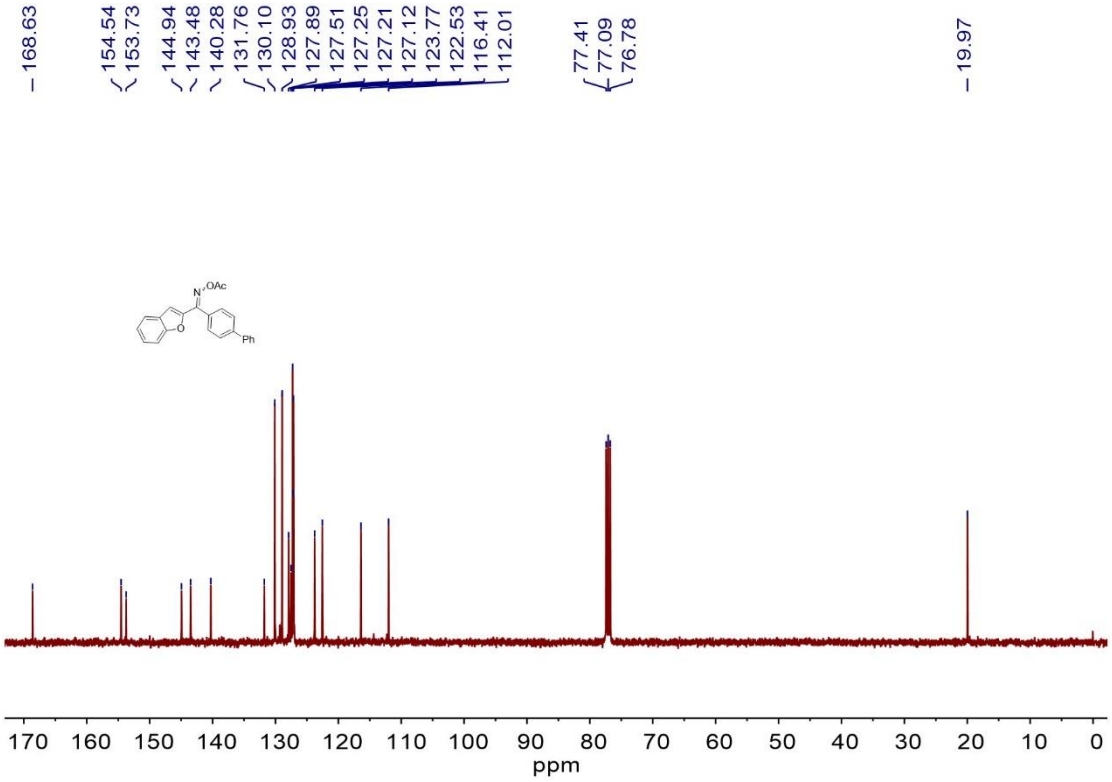
Benzofuran-2-yl(2,4-difluorophenyl)methanone O-acetyl oxime (1k)



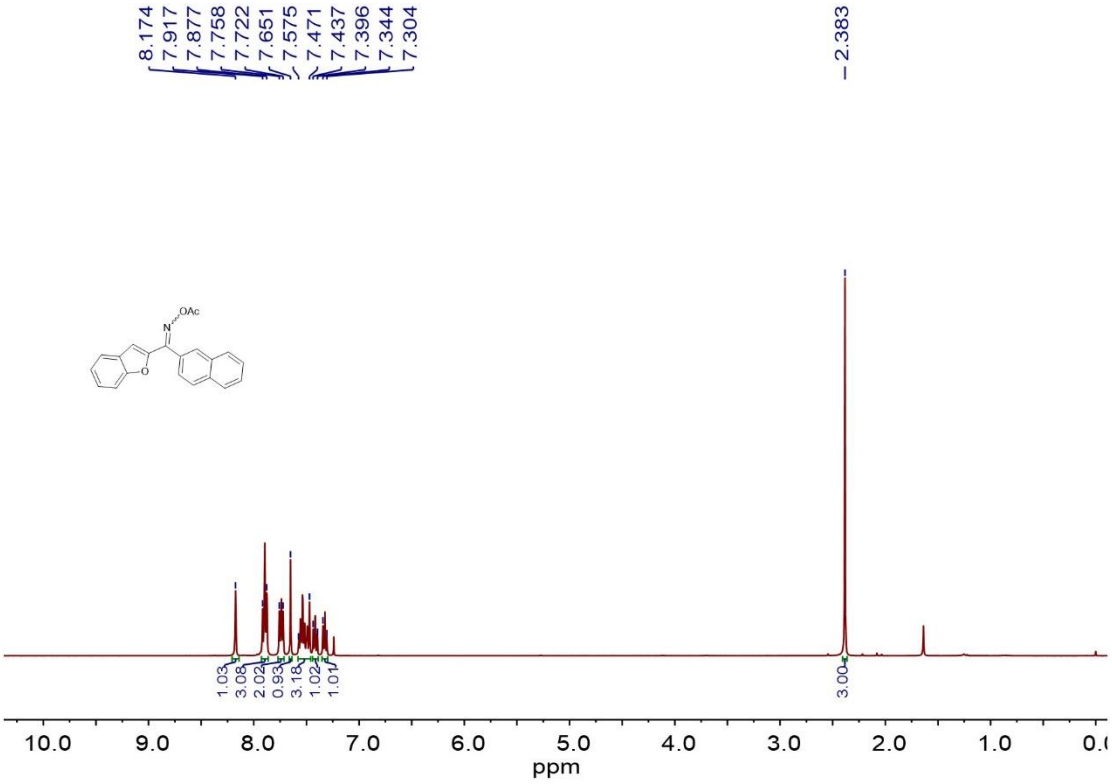


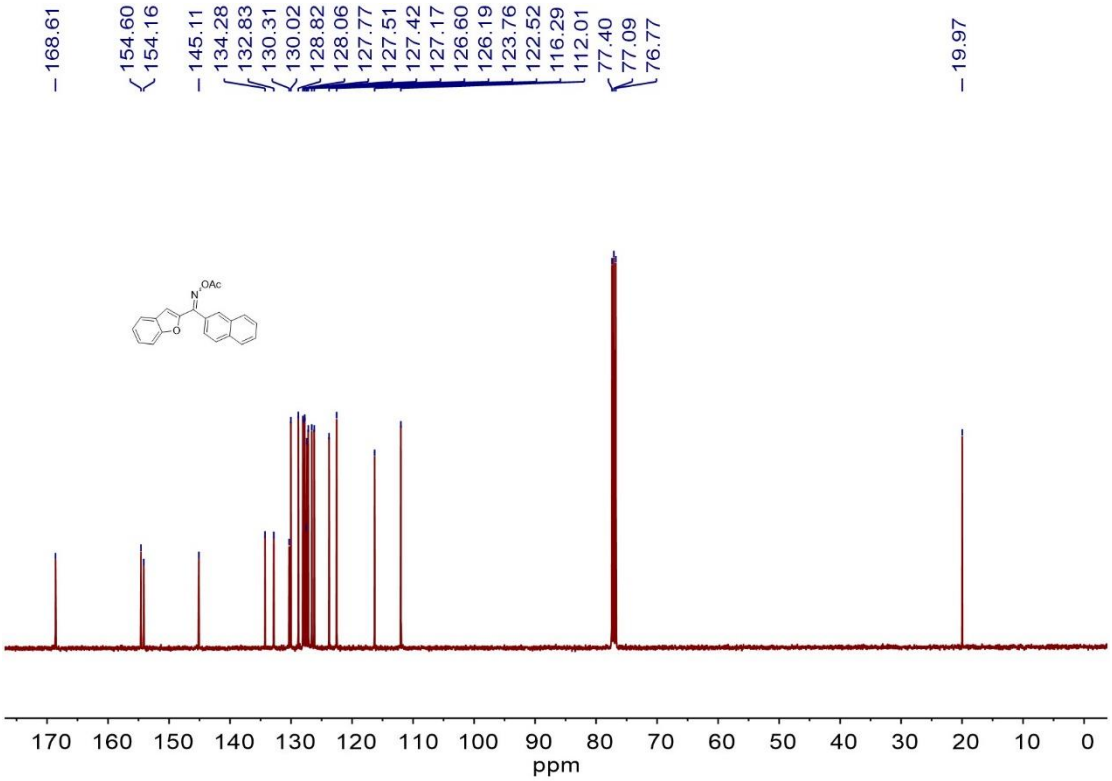
[1,1'-Biphenyl]-4-yl(benzofuran-2-yl)methanone O-acetyl oxime (1l)



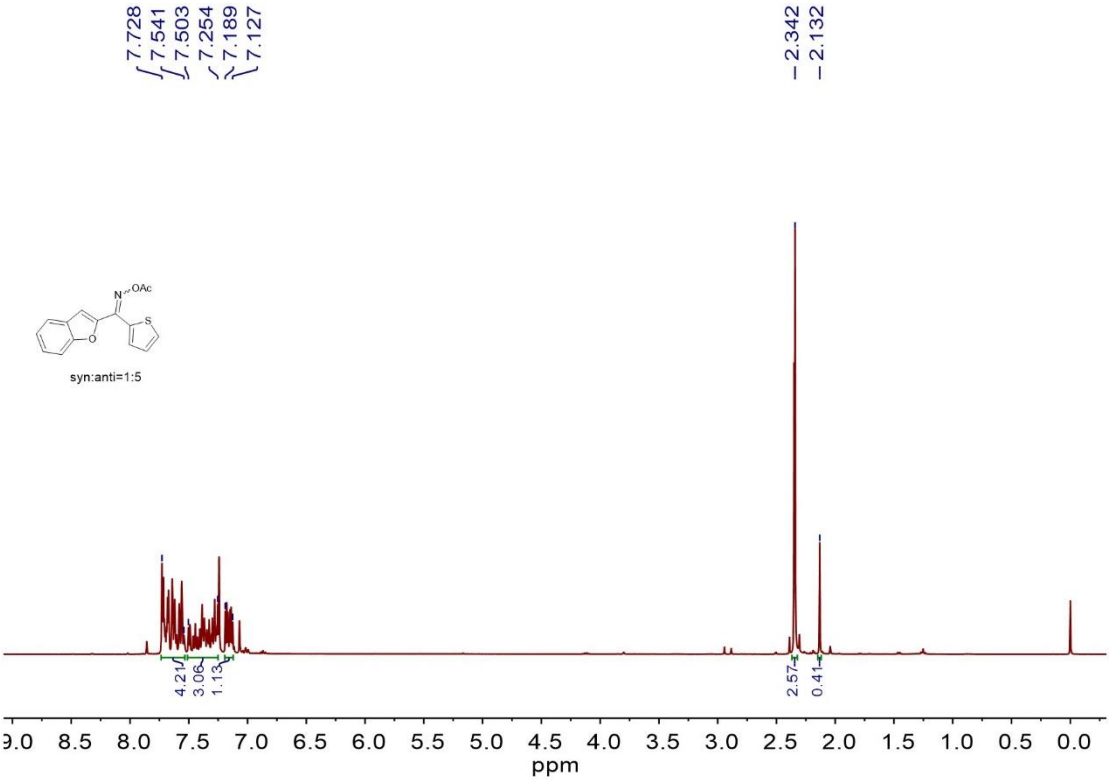


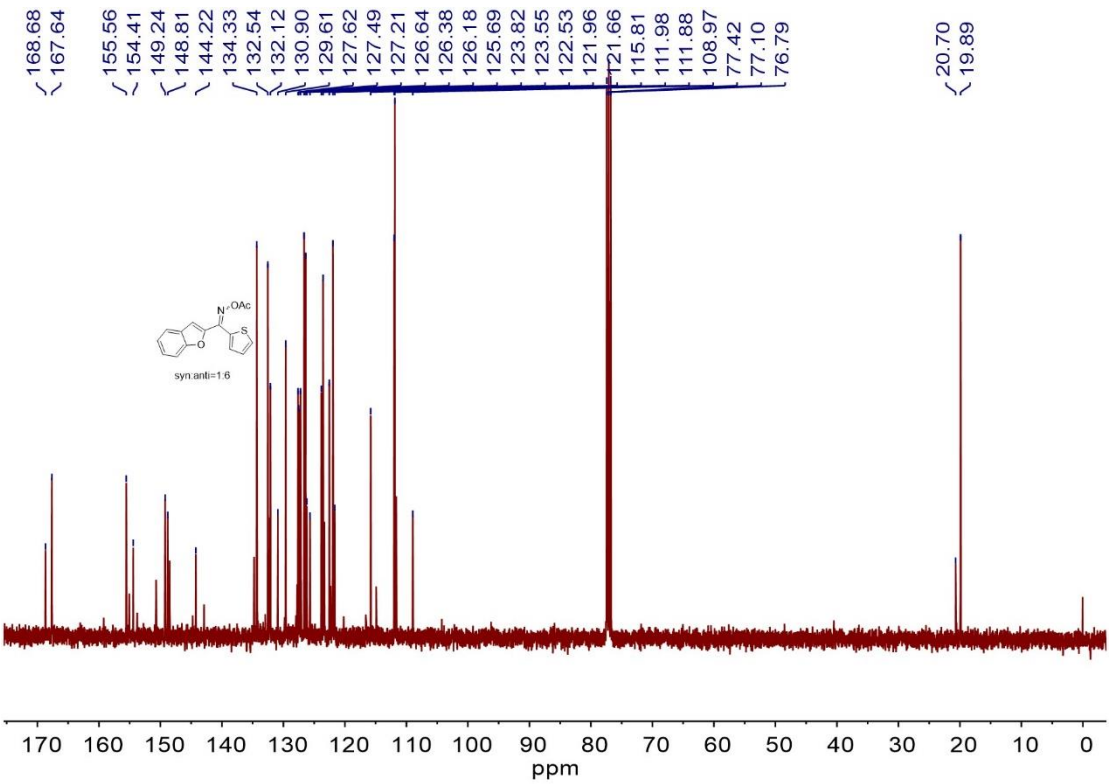
Benzofuran-2-yl(naphthalen-2-yl)methanone O-acetyl oxime (1m)



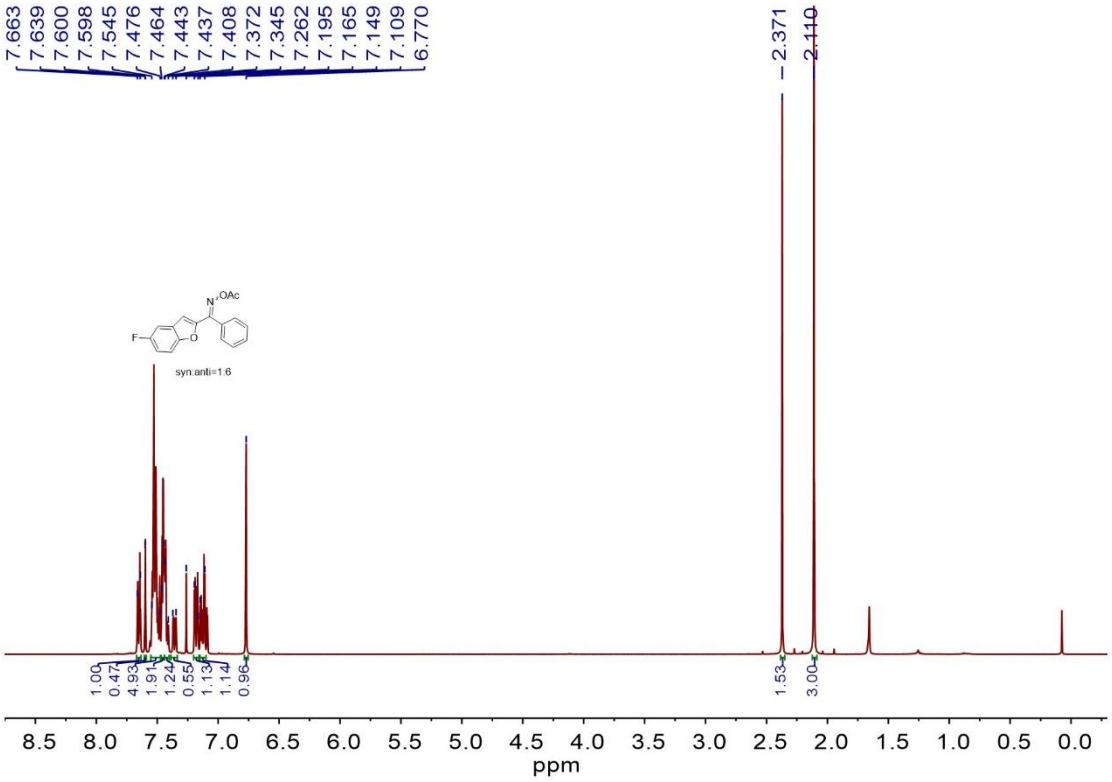


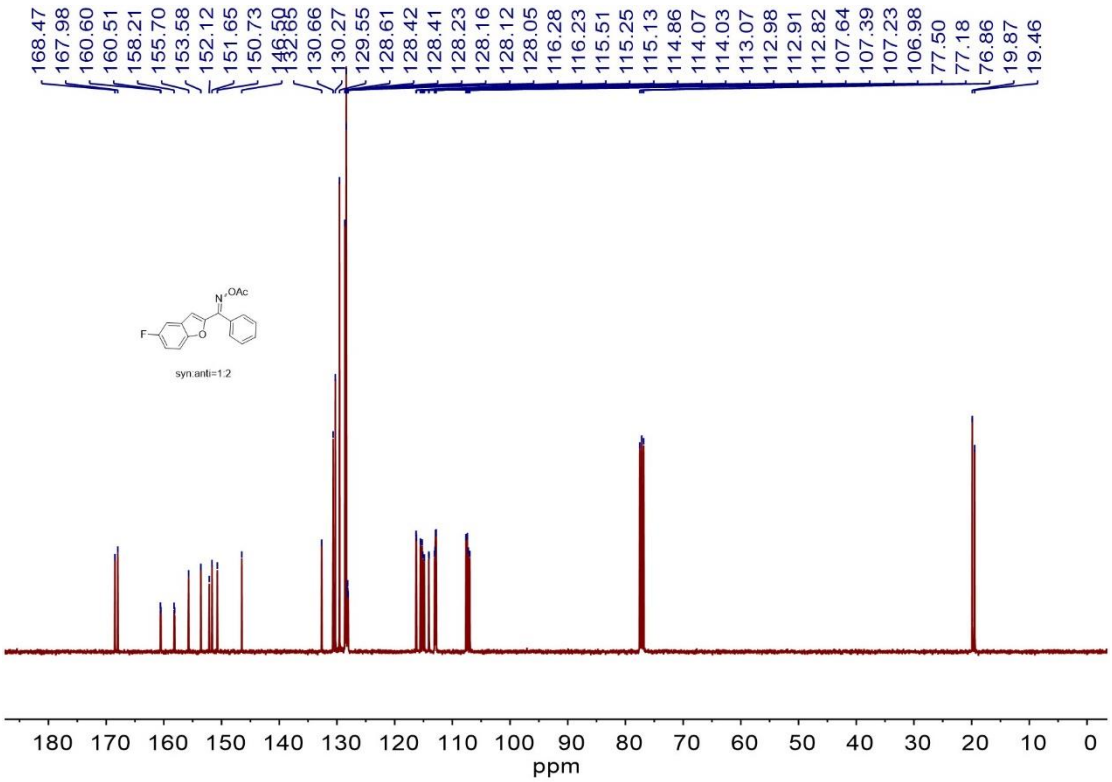
Benzofuran-2-yl(thiophen-2-yl)methanone O-acetyl oxime (1n)



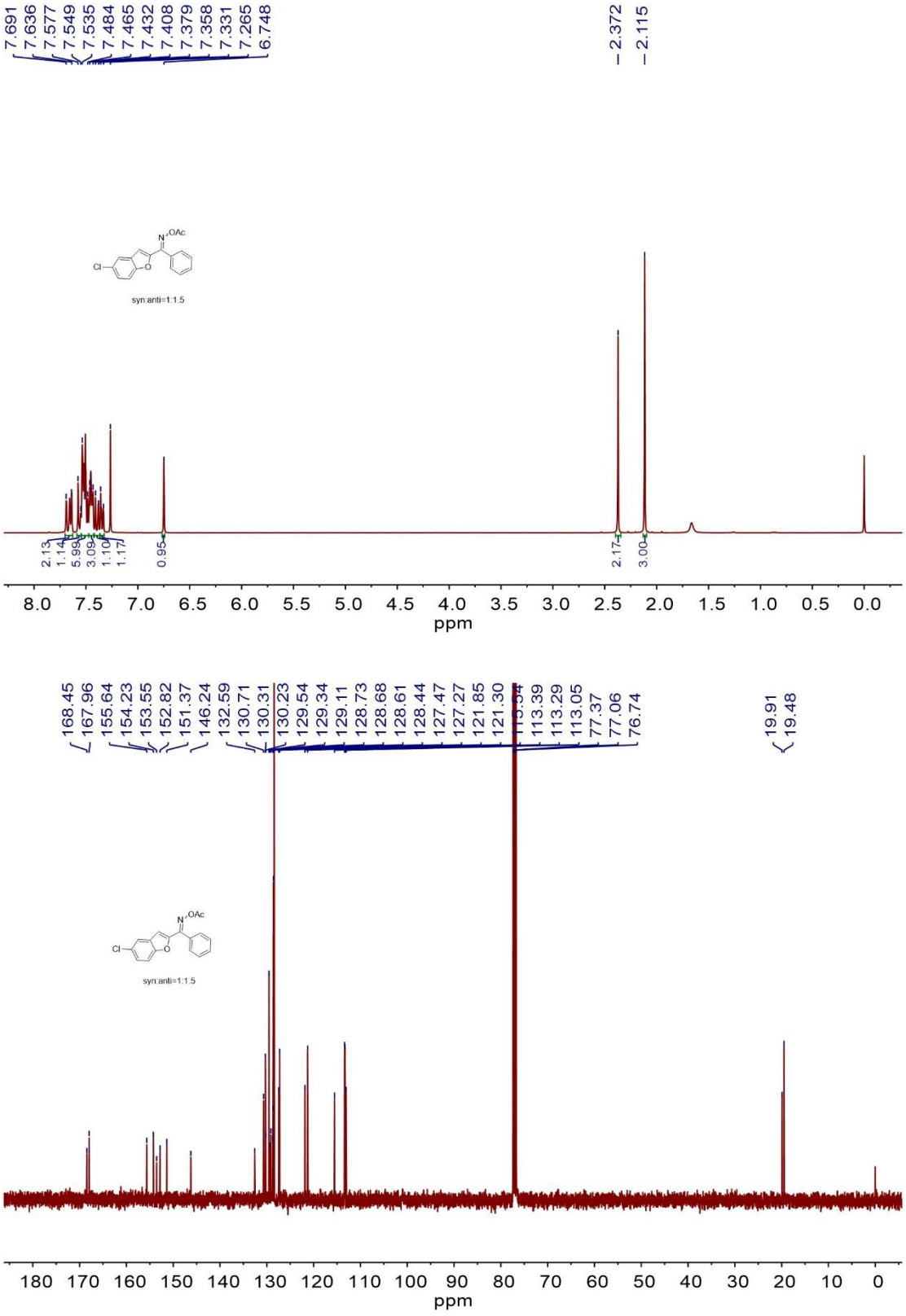


(5-Fluorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1p)

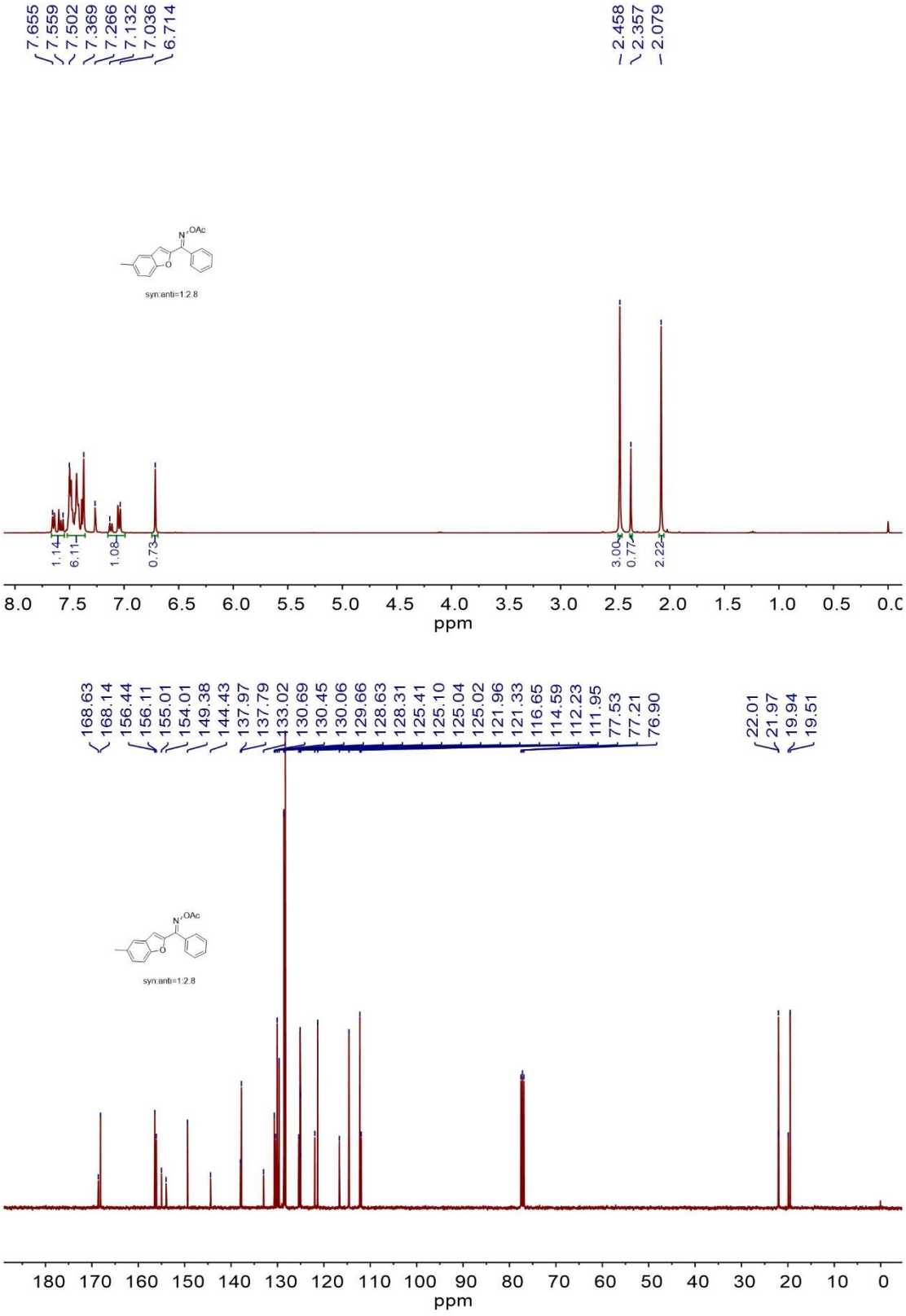




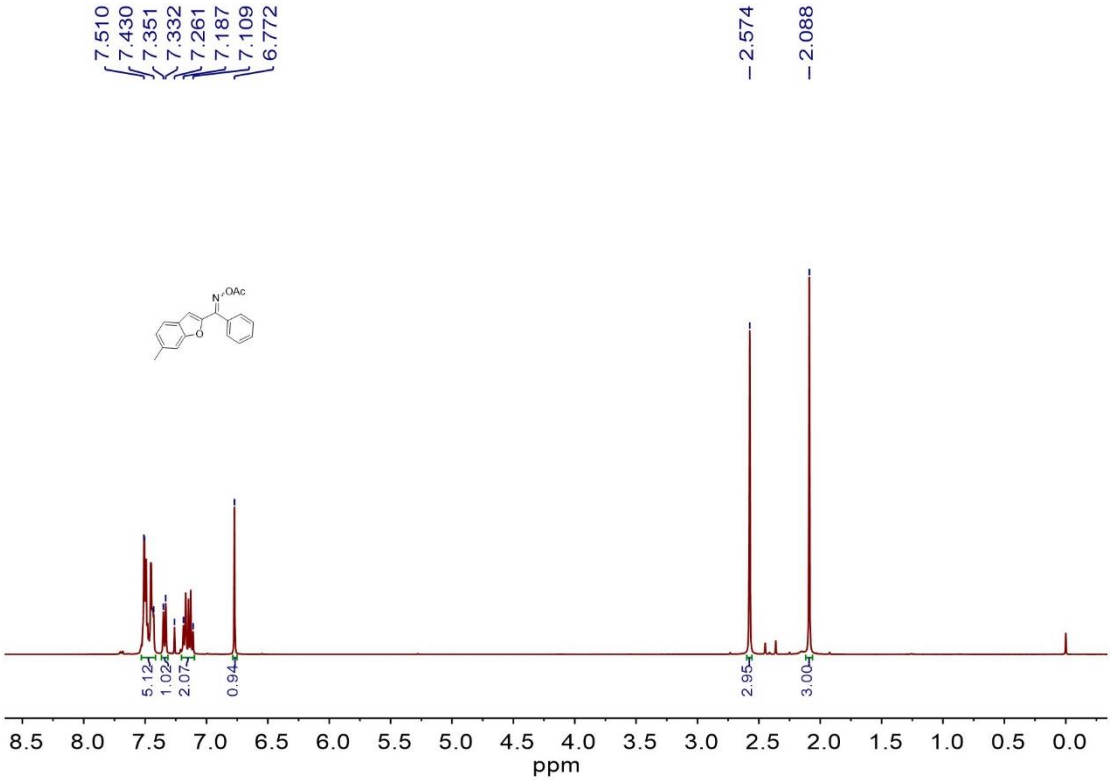
(5-Chlorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1q)

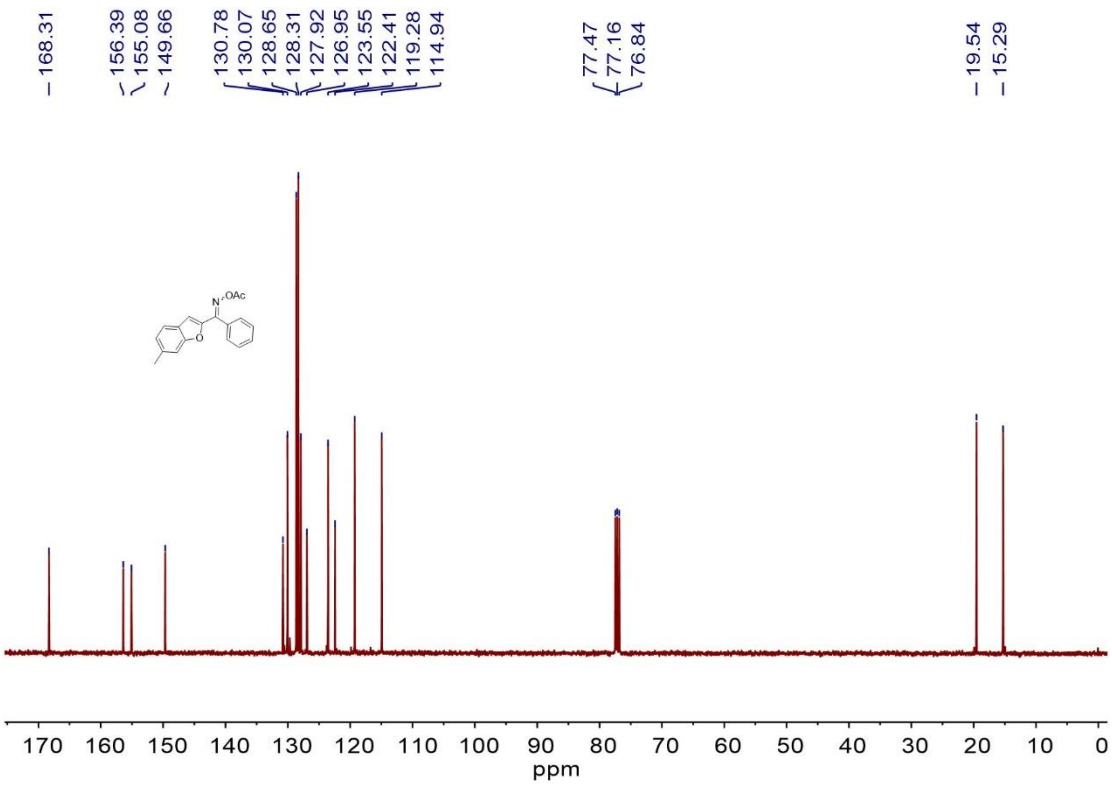


(5-Methylbenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1r)

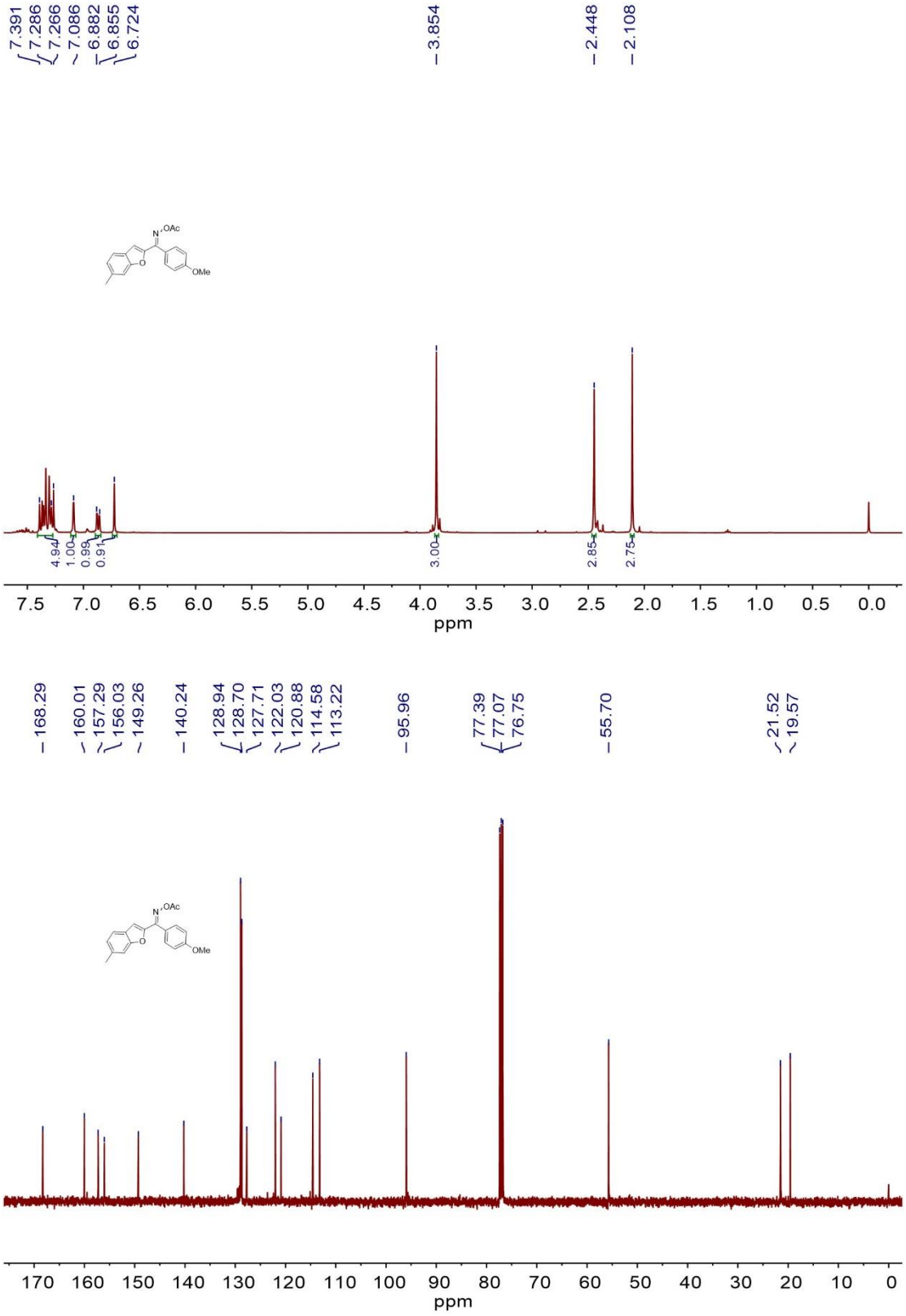


(6-Methylbenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1s)

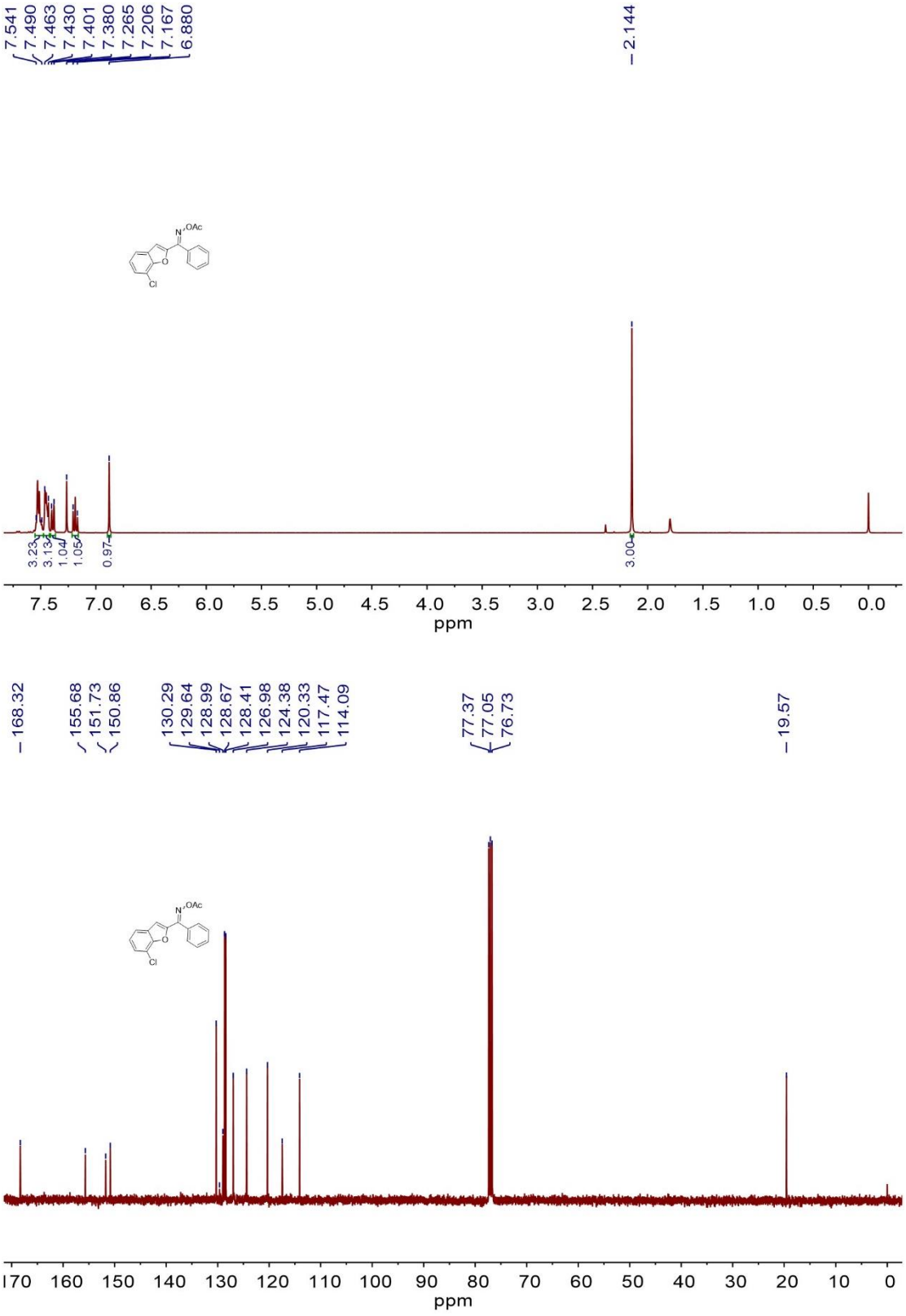




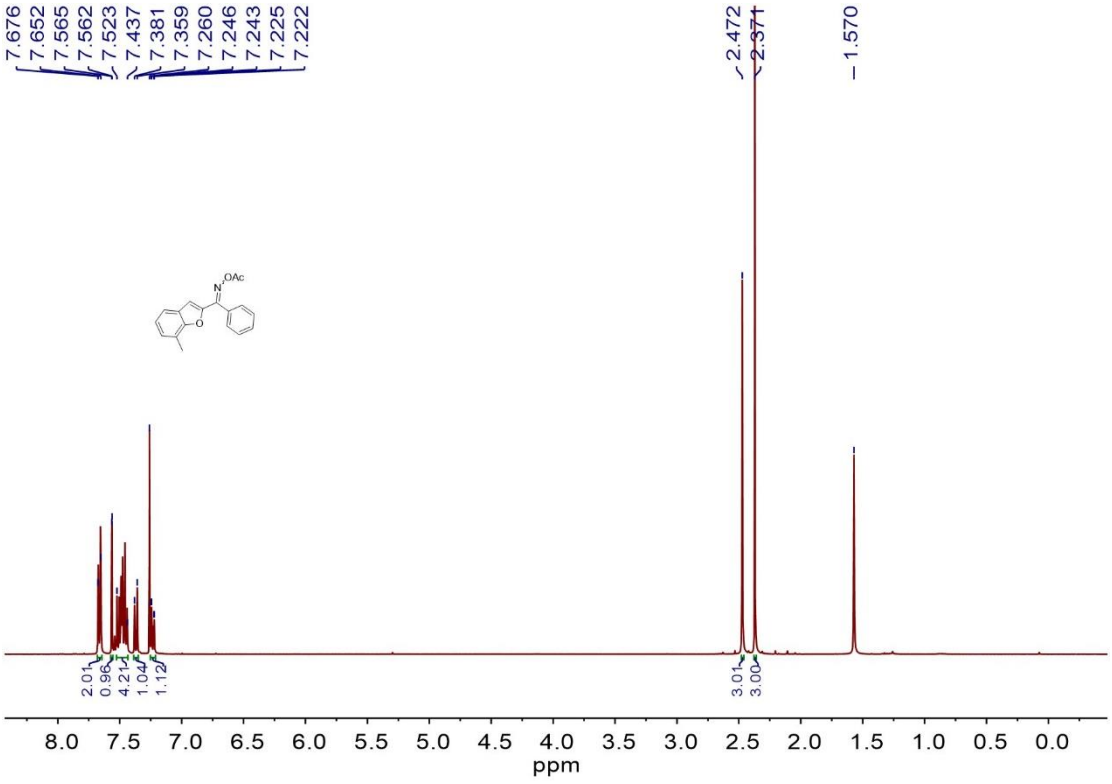
(4-Methoxyphenyl)(6-methylbenzofuran-2-yl)methanone O-acetyl oxime (1t)

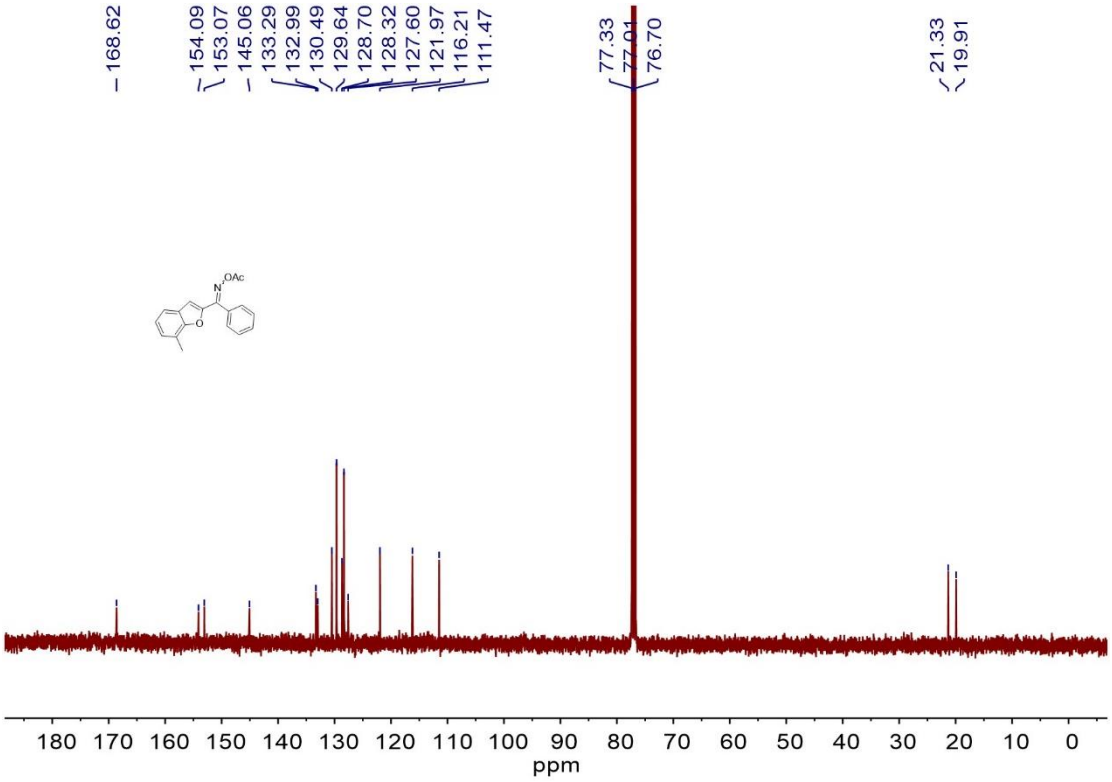


(7-Chlorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1u)

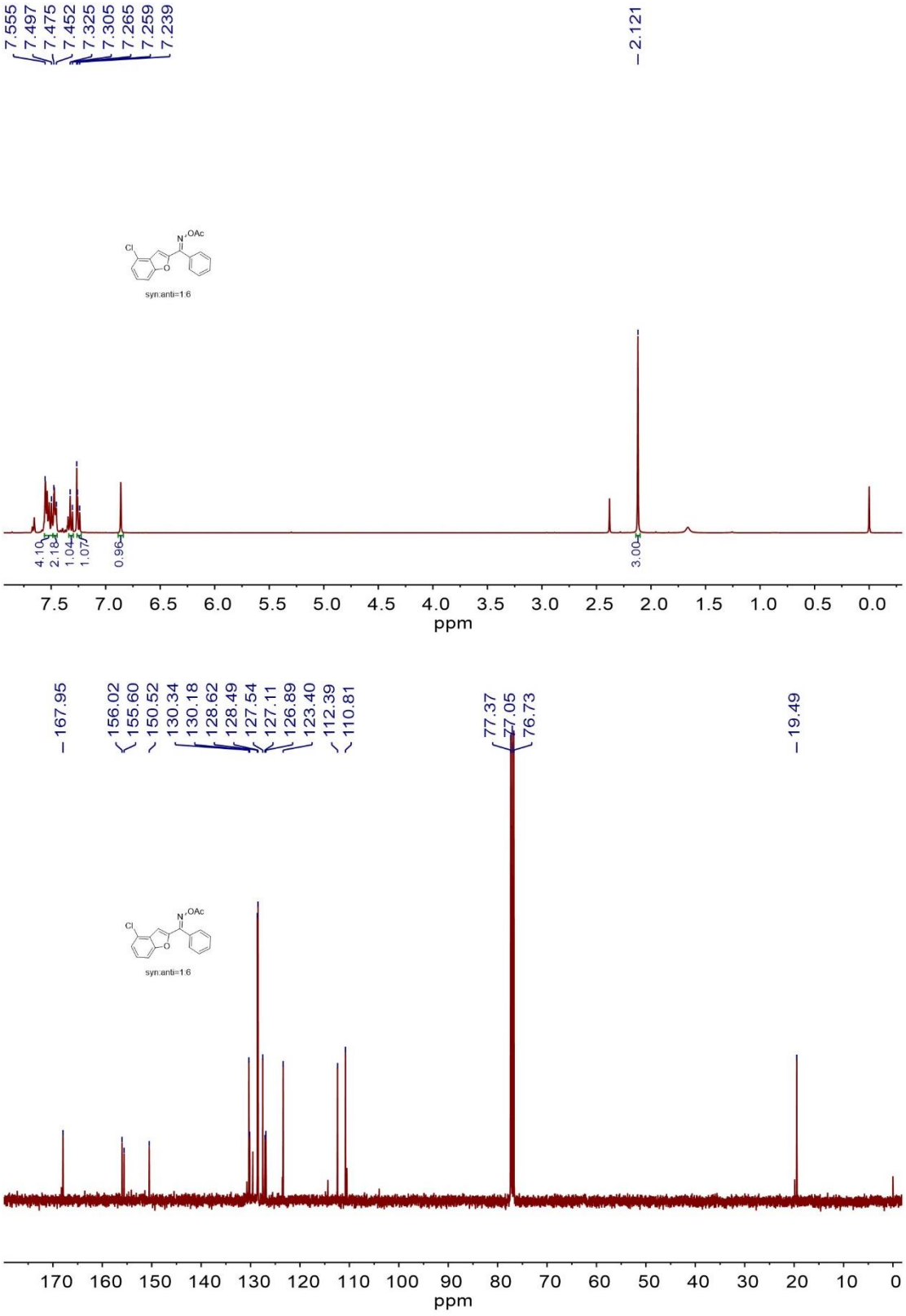


(7-Methylbenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1v)

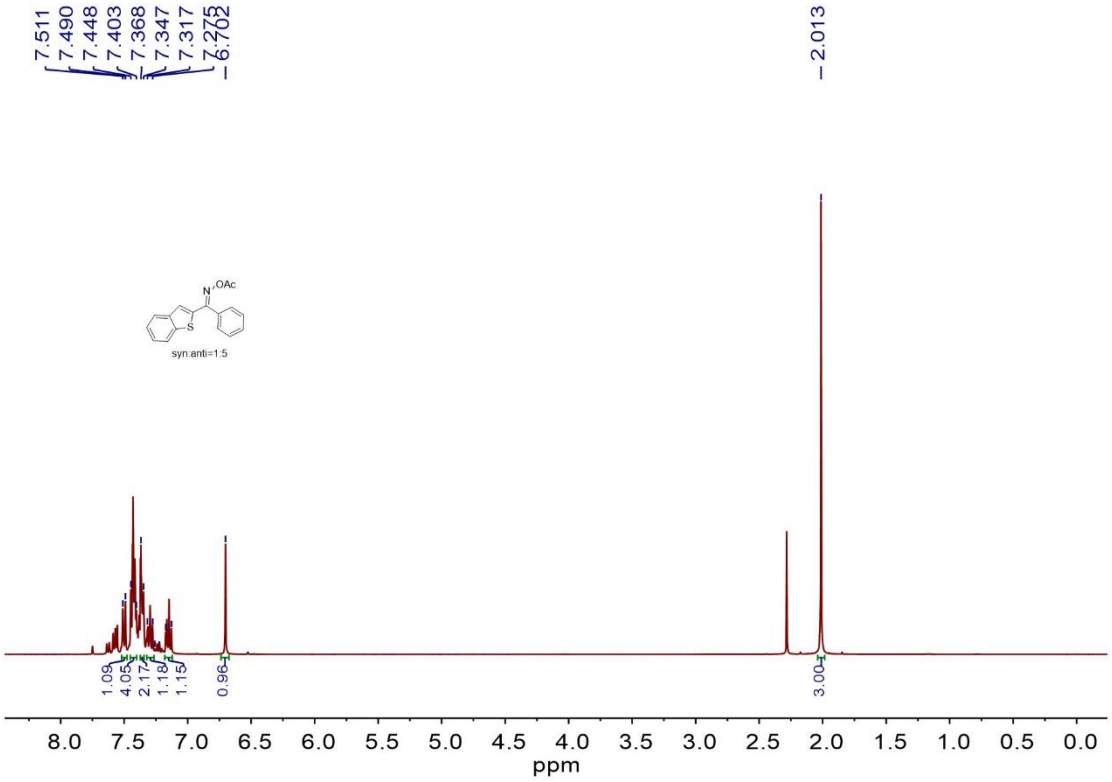


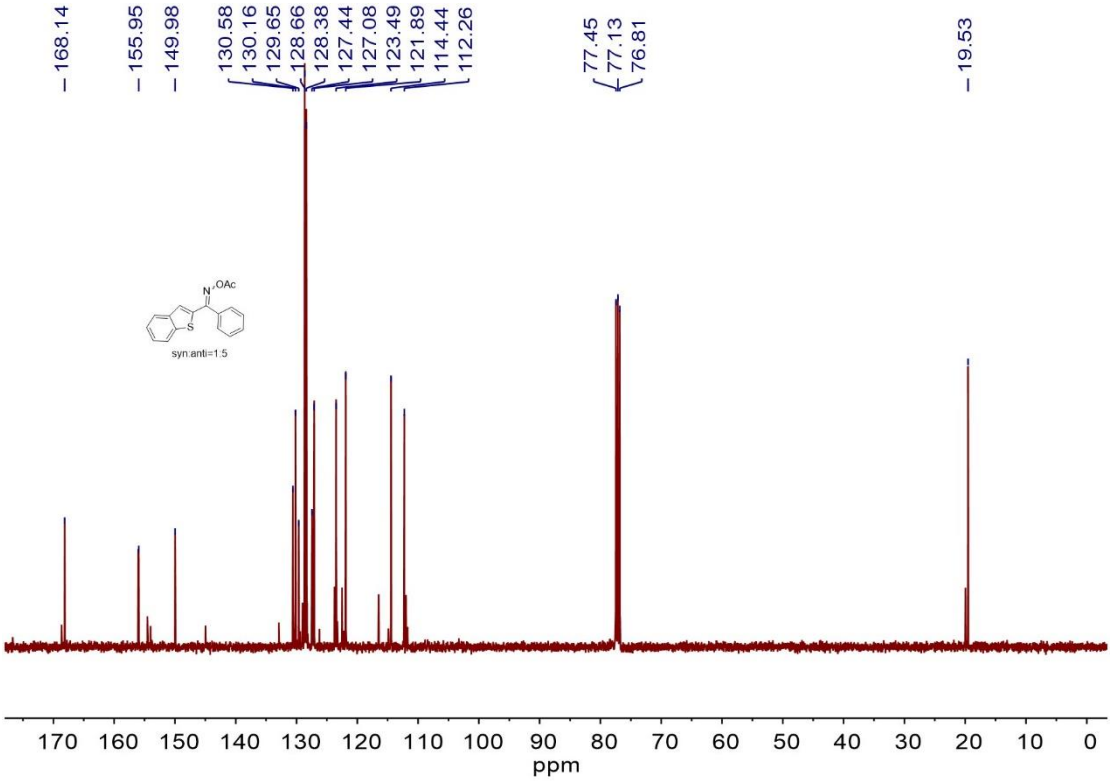


(4-Chlorobenzofuran-2-yl)(phenyl)methanone O-acetyl oxime (1w)

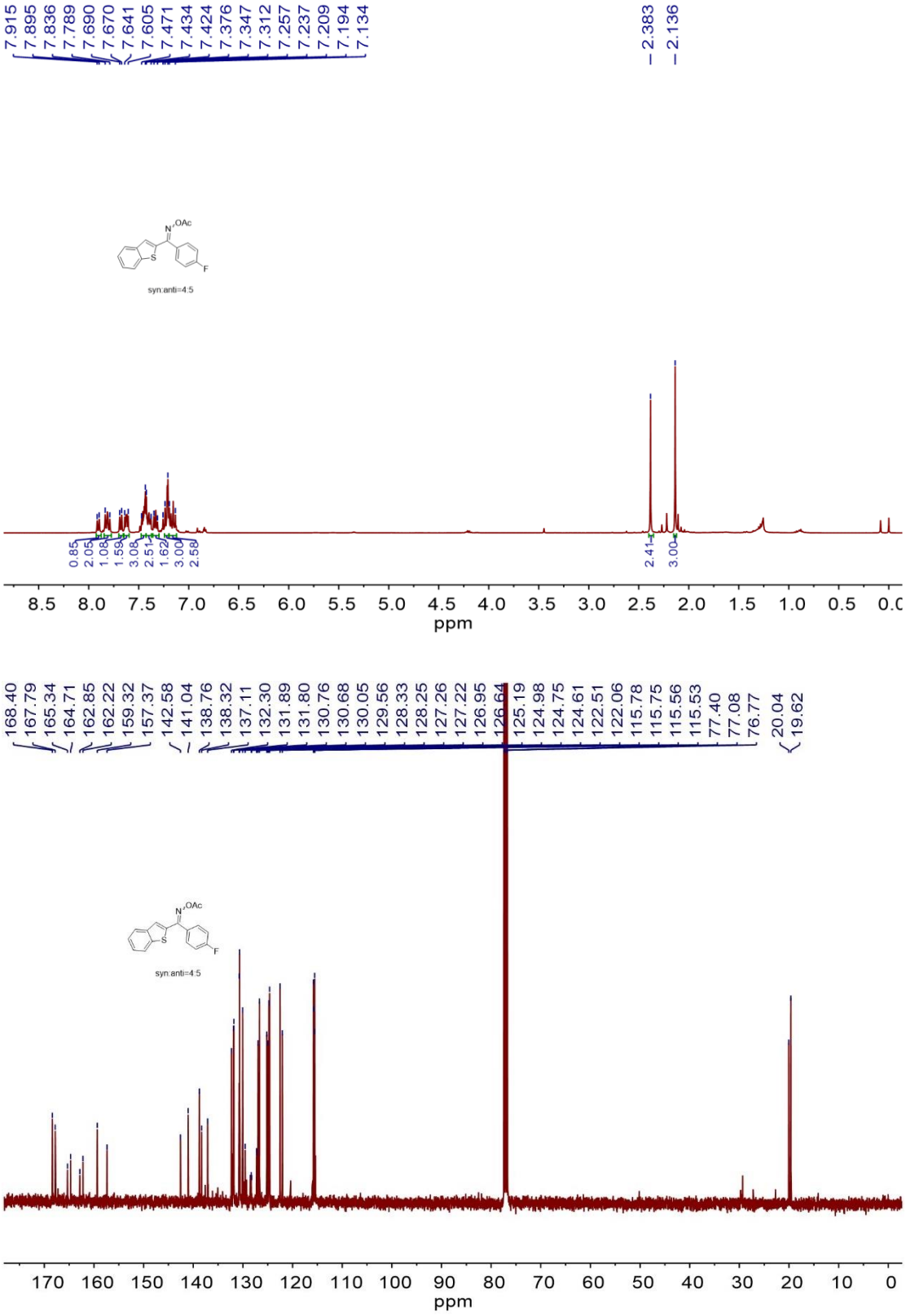


Benzo[b]thiophen-2-yl(phenyl)methanone O-acetyl oxime (1aa)

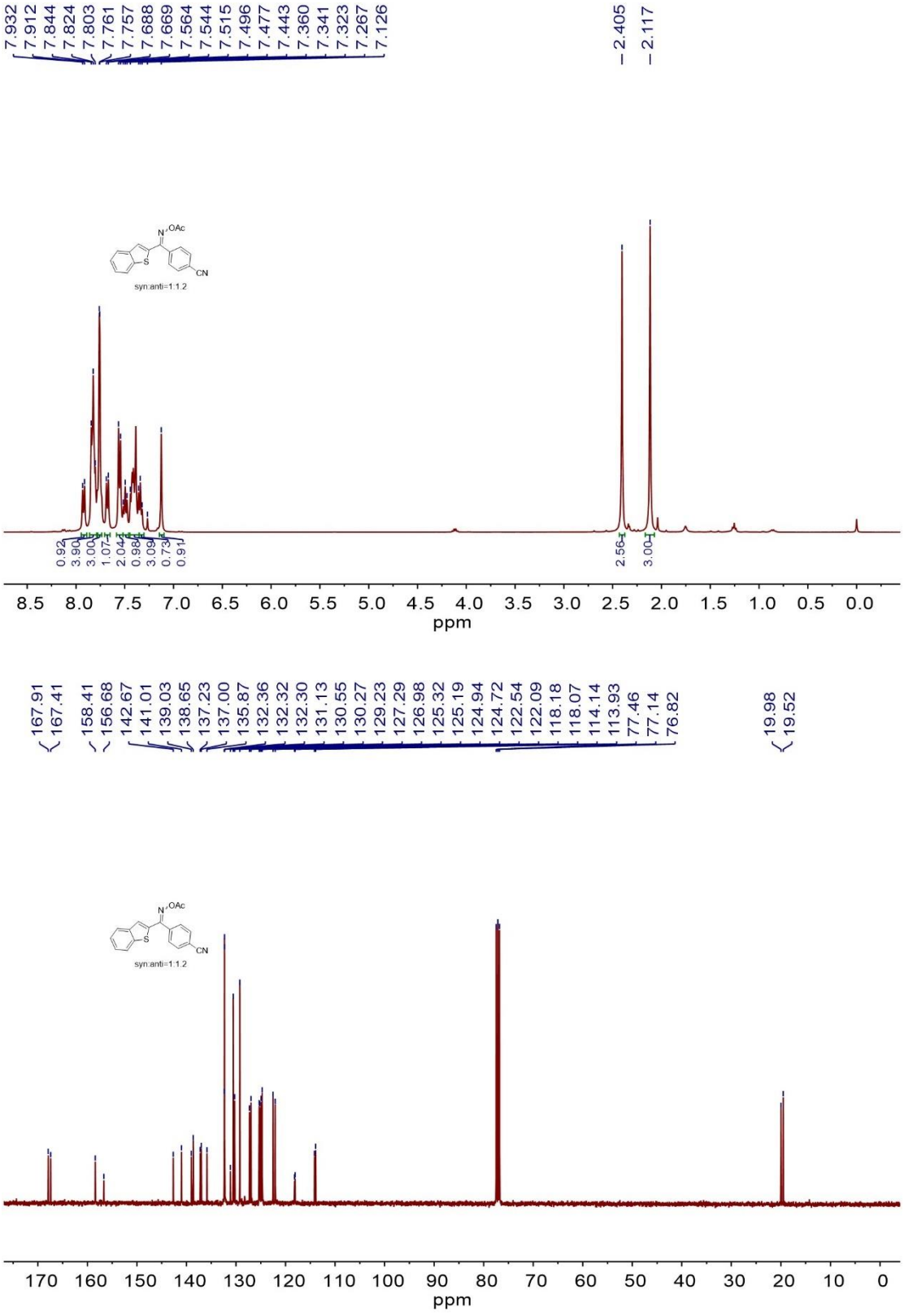




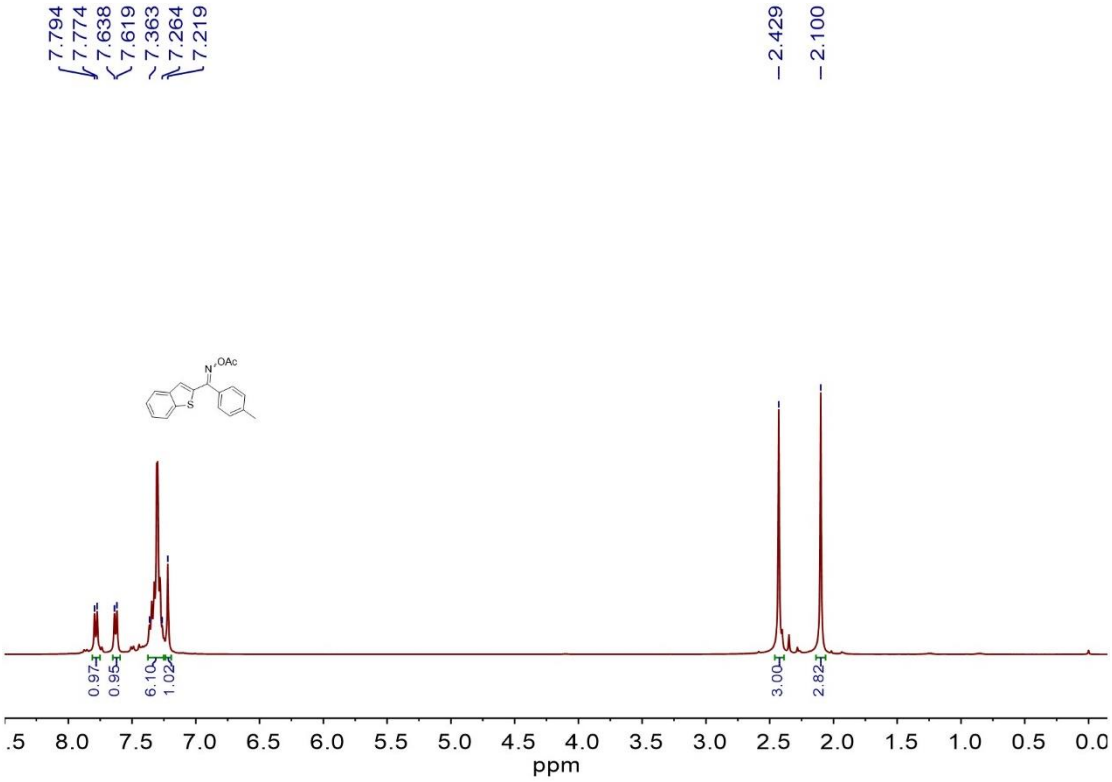
Benzo[b]thiophen-2-yl(4-fluorophenyl)methanone O-acetyl oxime (1ba)

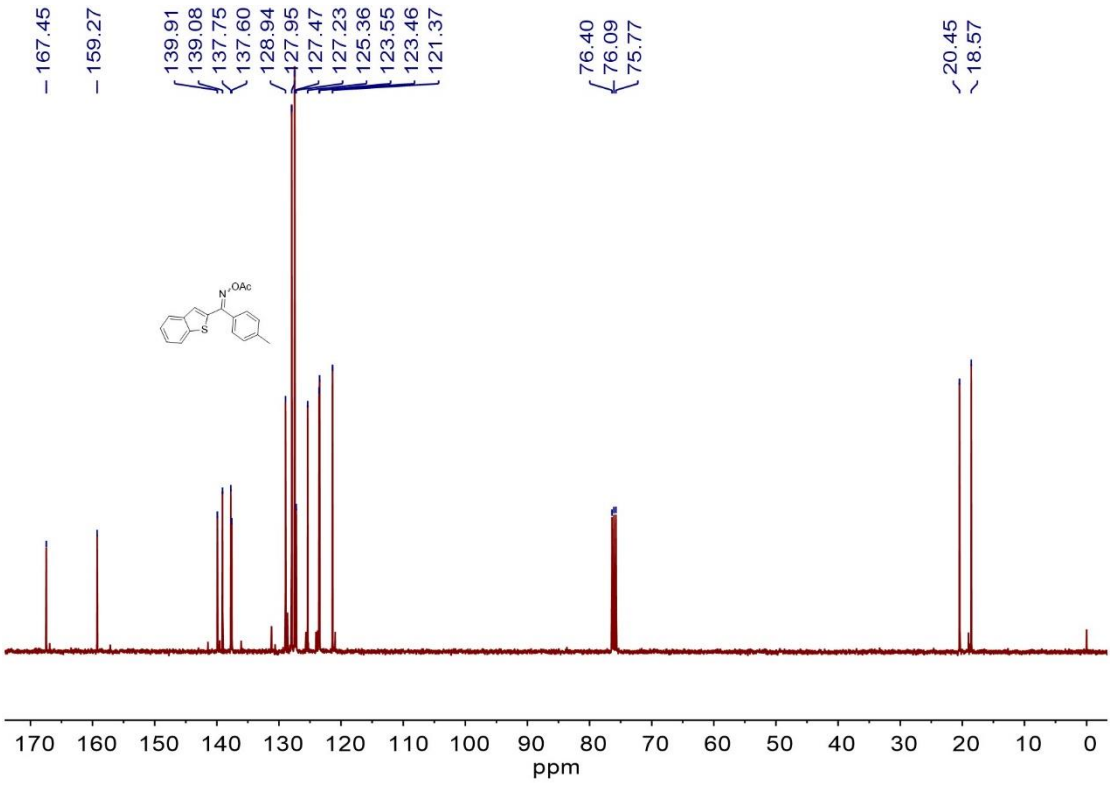


4-((Acetoxyimino)(benzo[b]thiophen-2-yl)methyl)benzonitrile (1ca)

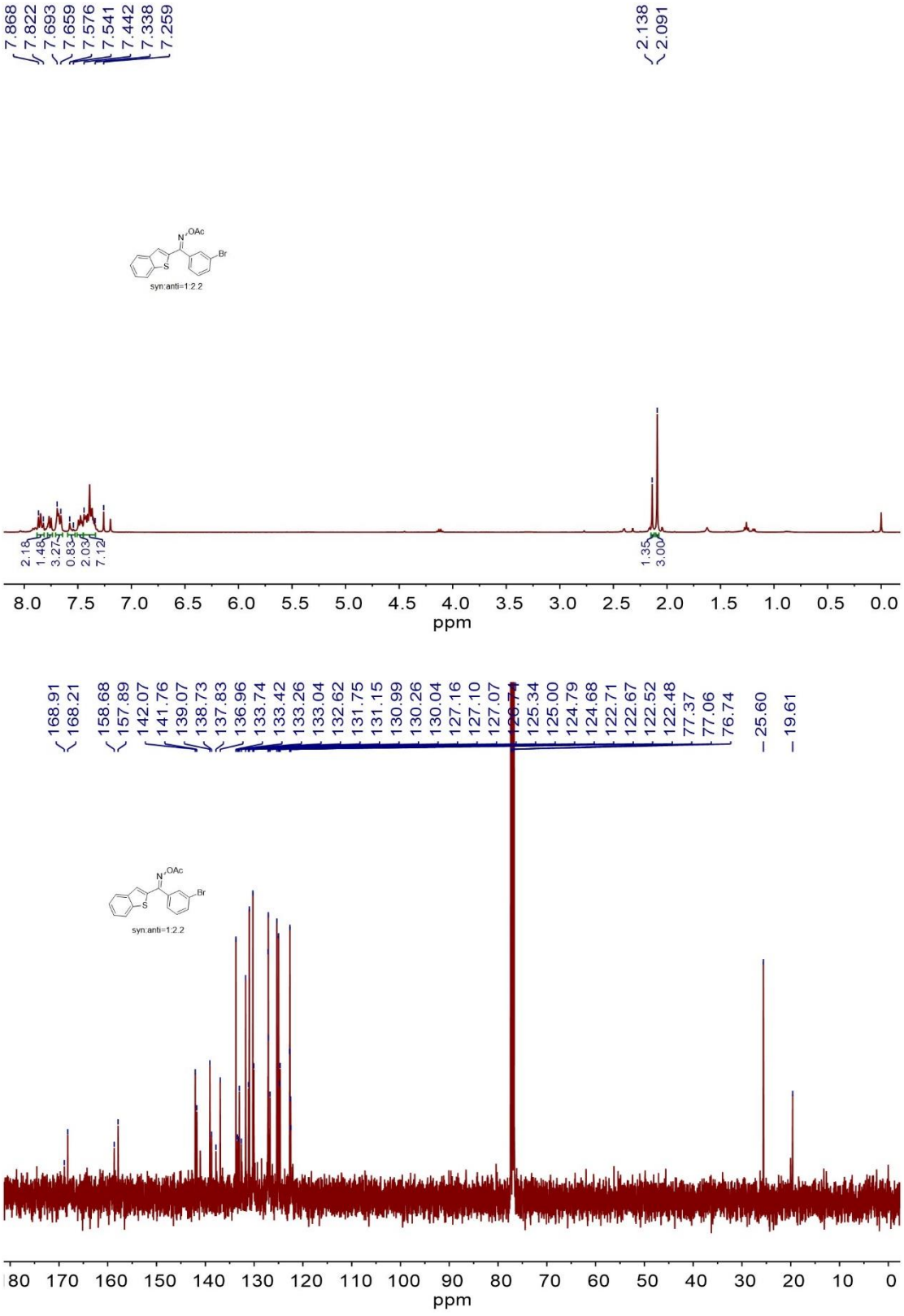


Benzo[b]thiophen-2-yl(p-tolyl)methanone O-acetyl oxime (1da)

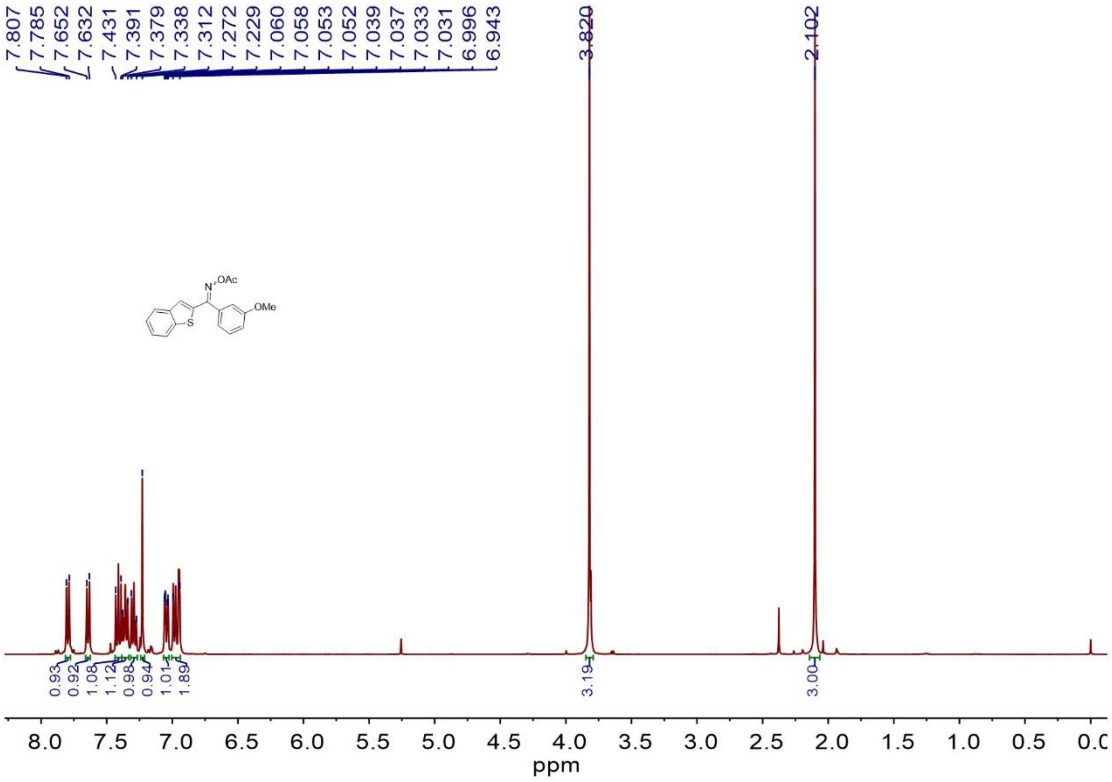


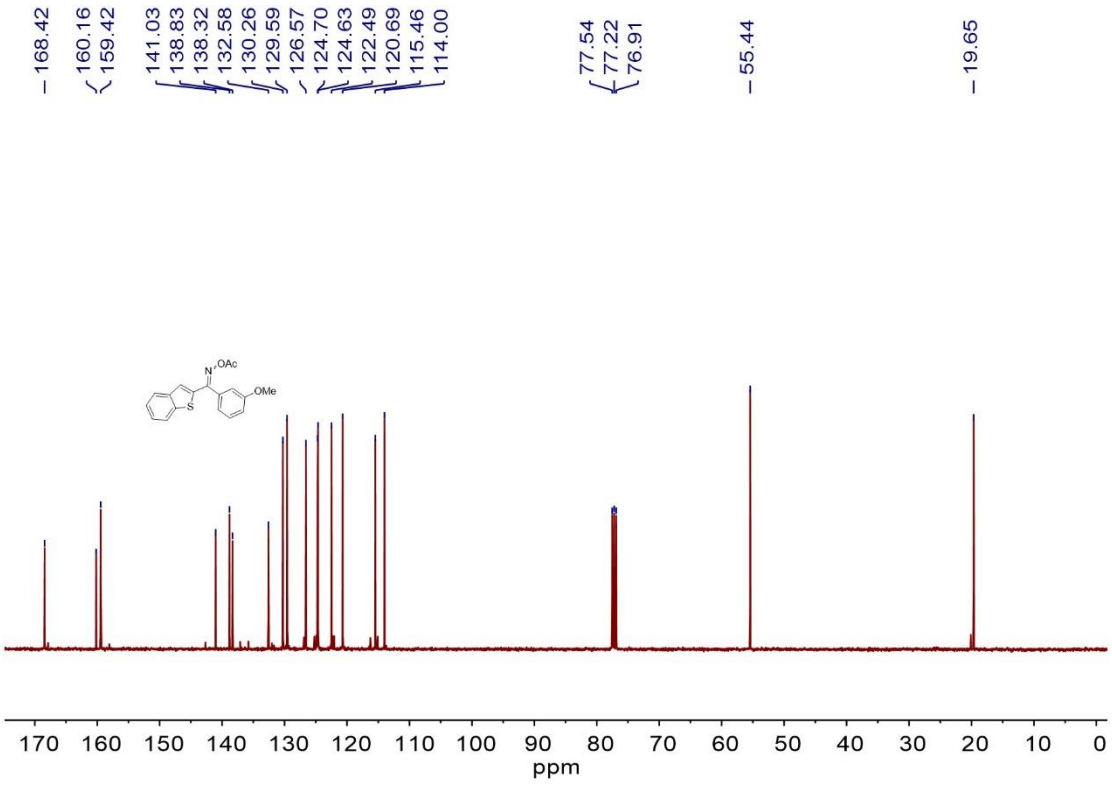


Benzo[b]thiophen-2-yl(3-bromophenyl)methanone O-acetyl oxime (1ea)

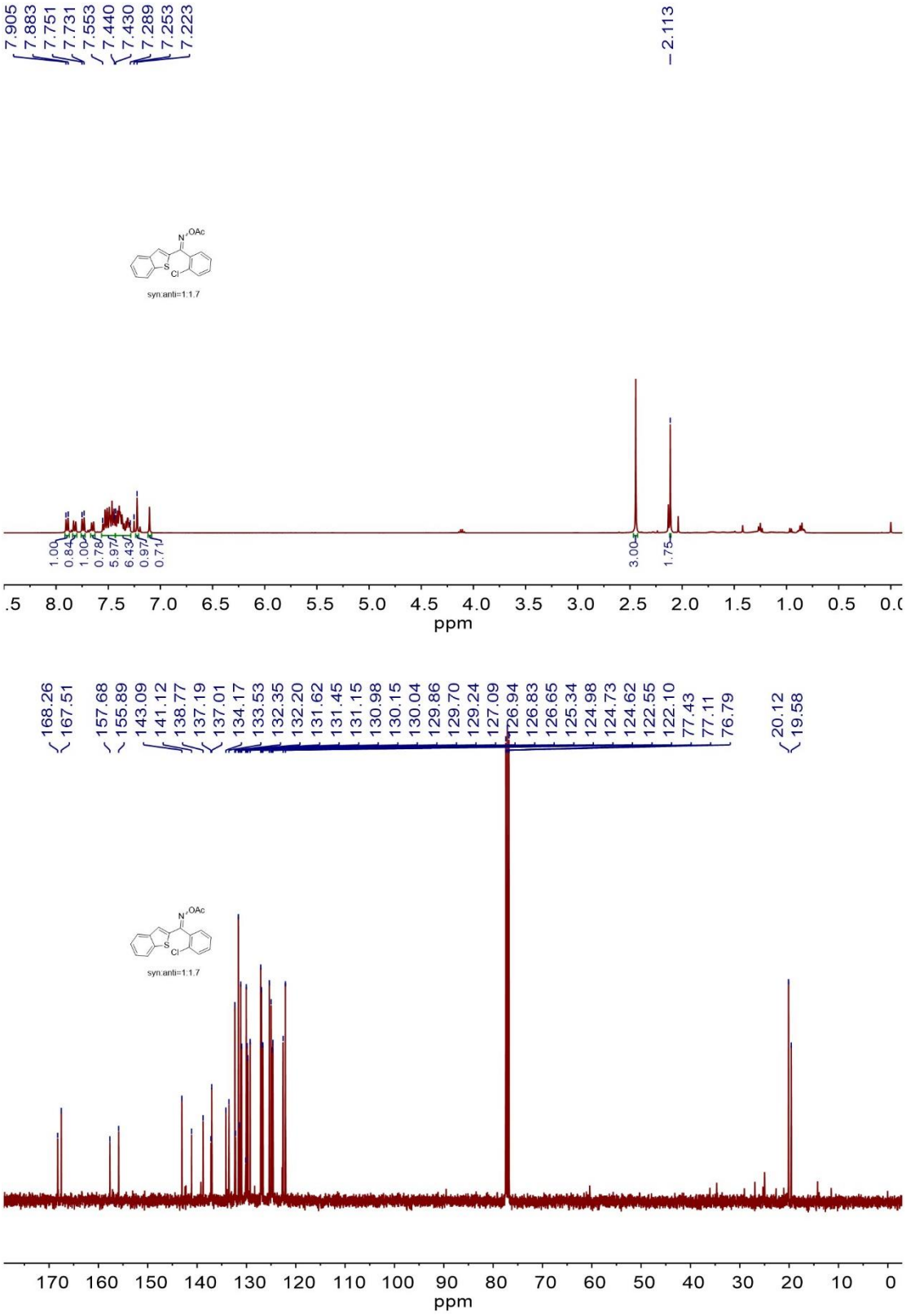


Benzo[b]thiophen-2-yl(3-methoxyphenyl)methanone O-acetyl oxime (1fa)

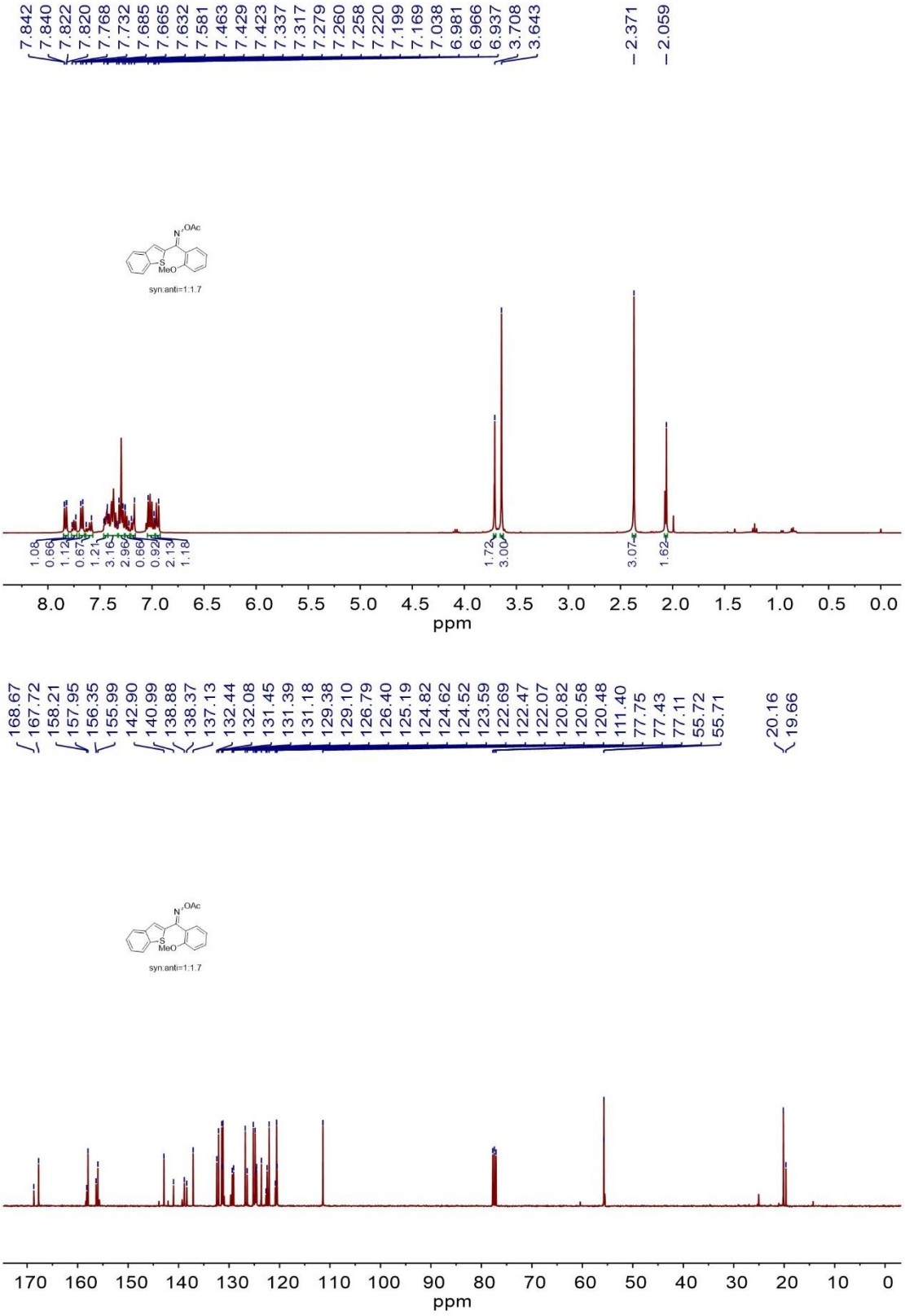




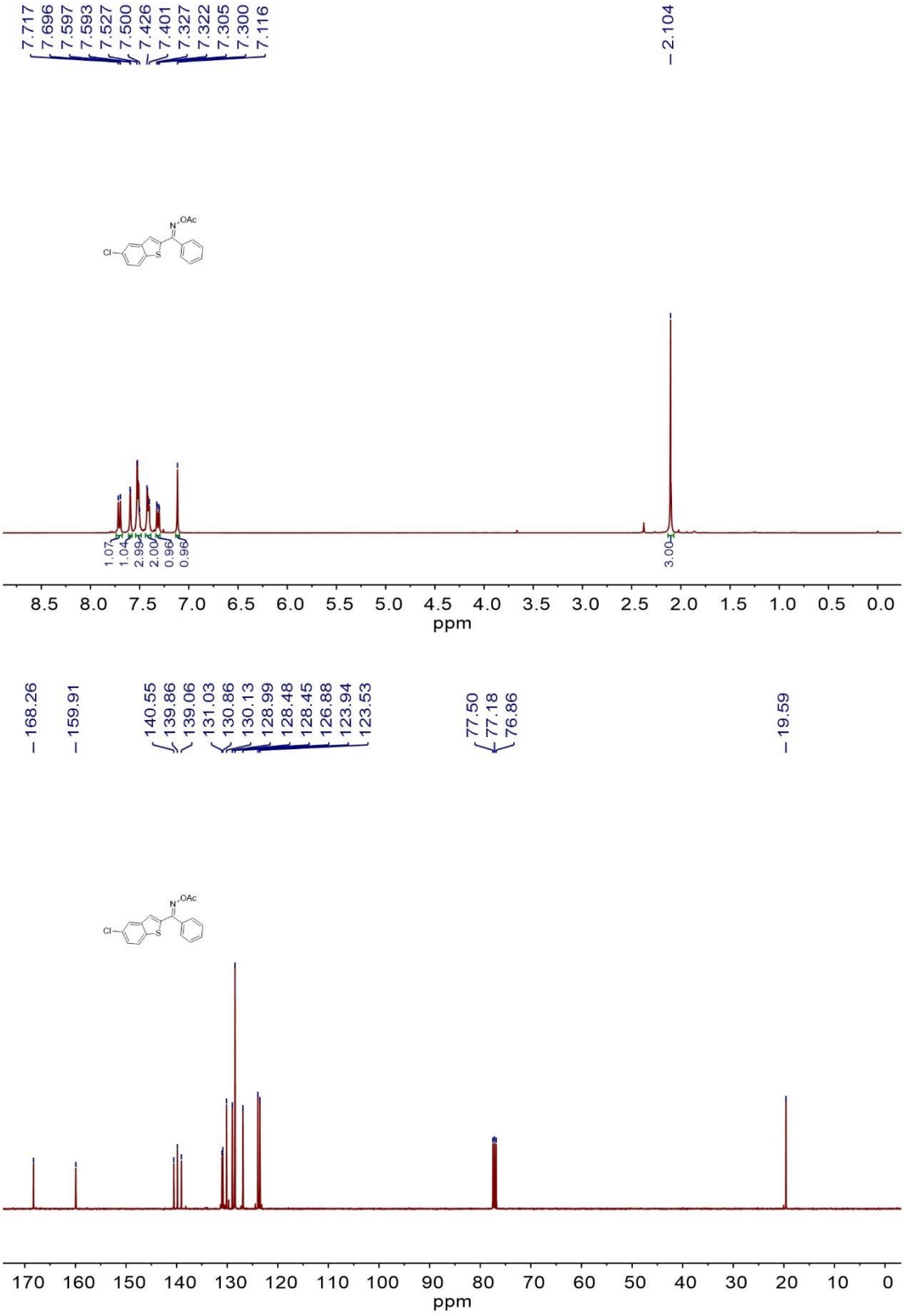
Benzo[b]thiophen-2-yl(2-chlorophenyl)methanone O-acetyl oxime (1ga)



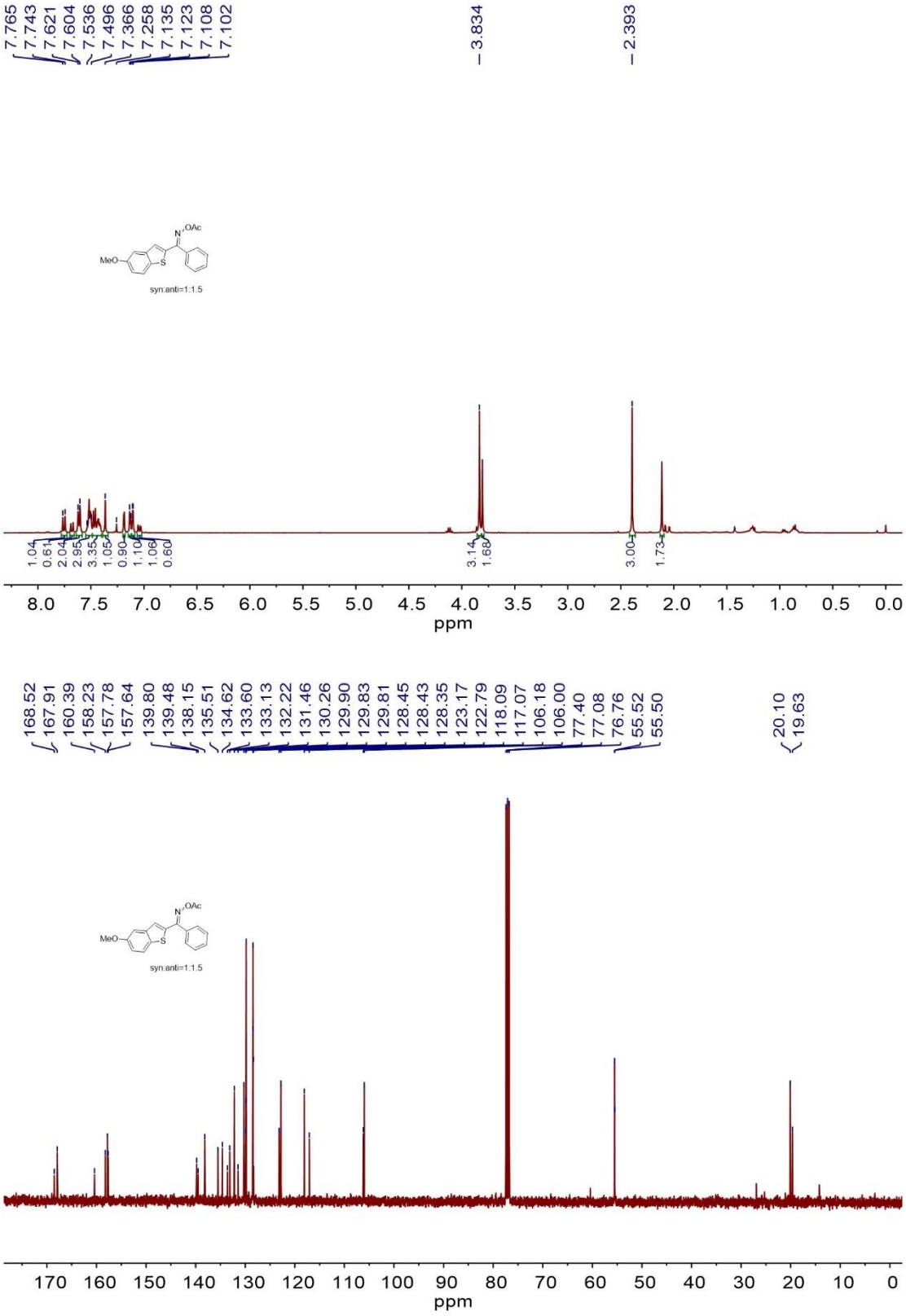
Benzo[b]thiophen-2-yl(2-methoxyphenyl)methanone O-acetyl oxime (1ha)



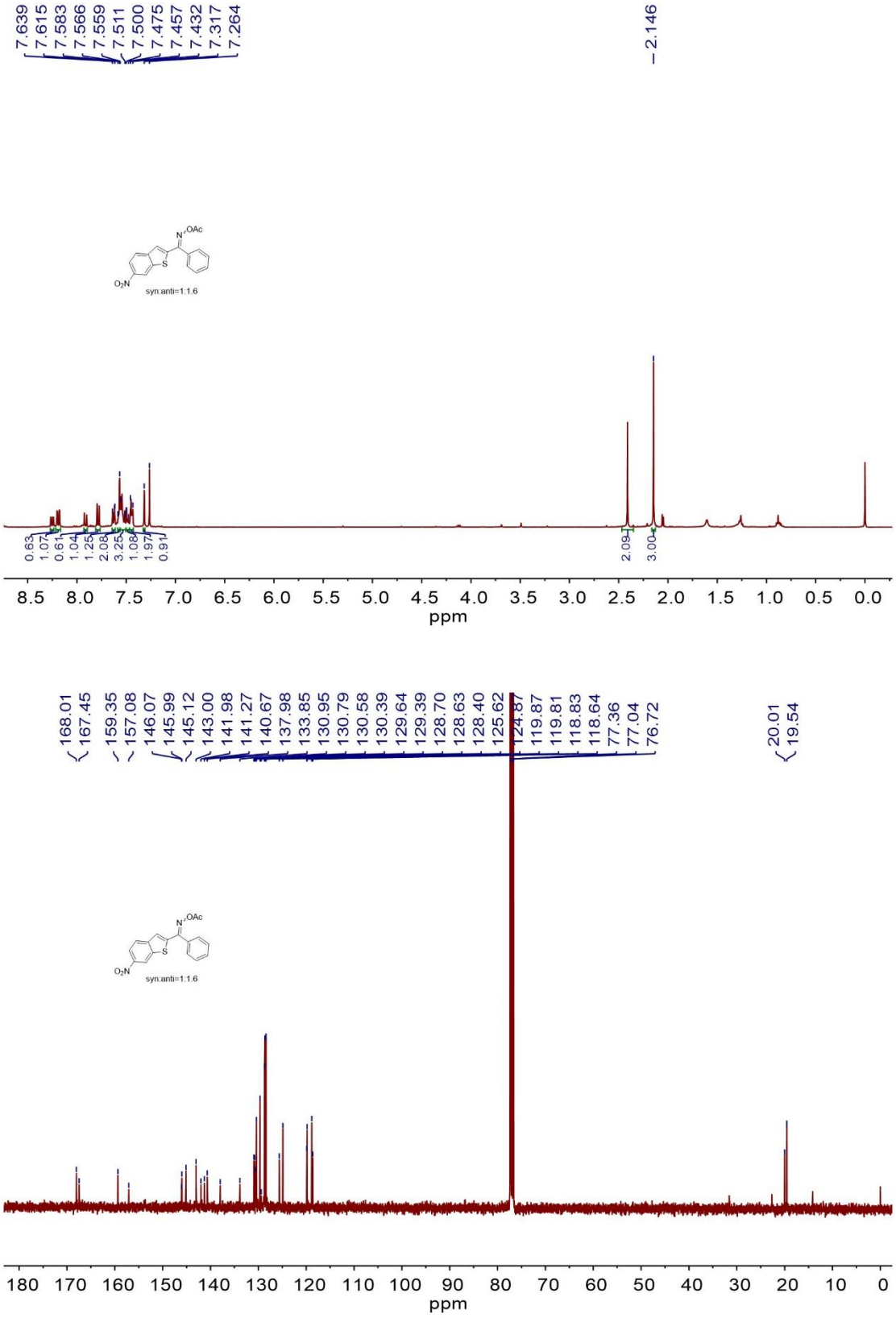
(5-Chlorobenzo[b]thiophen-2-yl)(phenyl)methanone O-acetyl oxime (1ia)



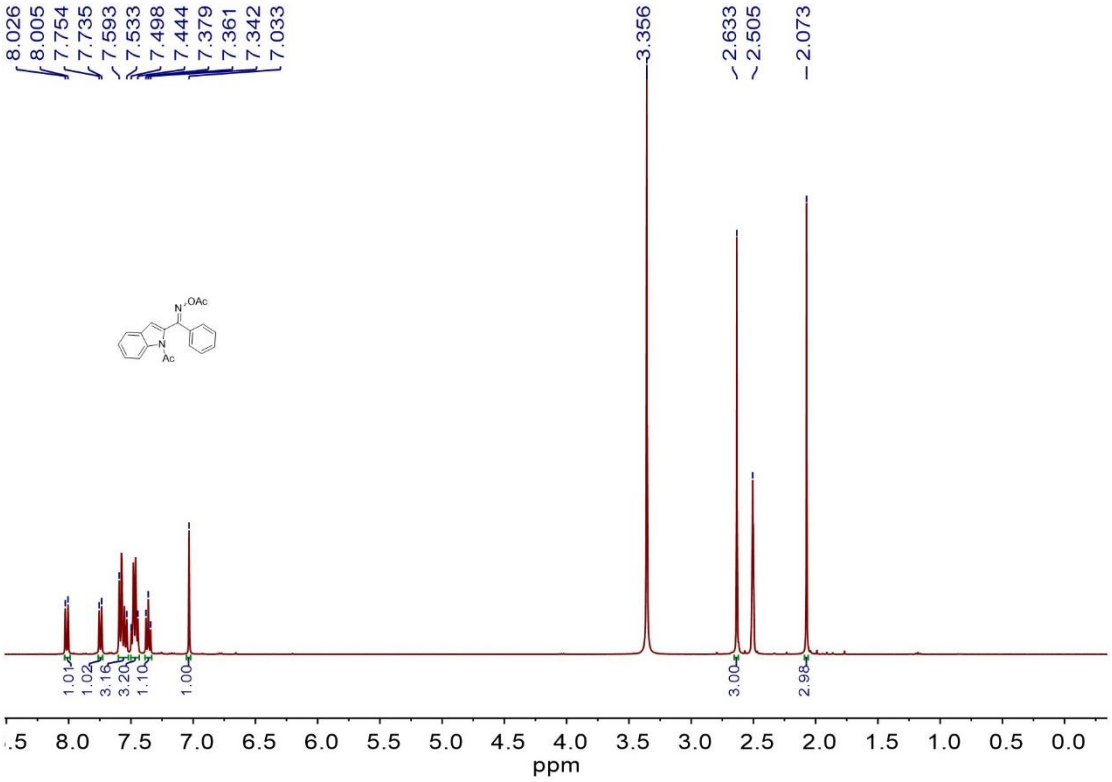
(5-Methoxybenzo[b]thiophen-2-yl)(phenyl)methanone O-acetyl oxime (1ja)

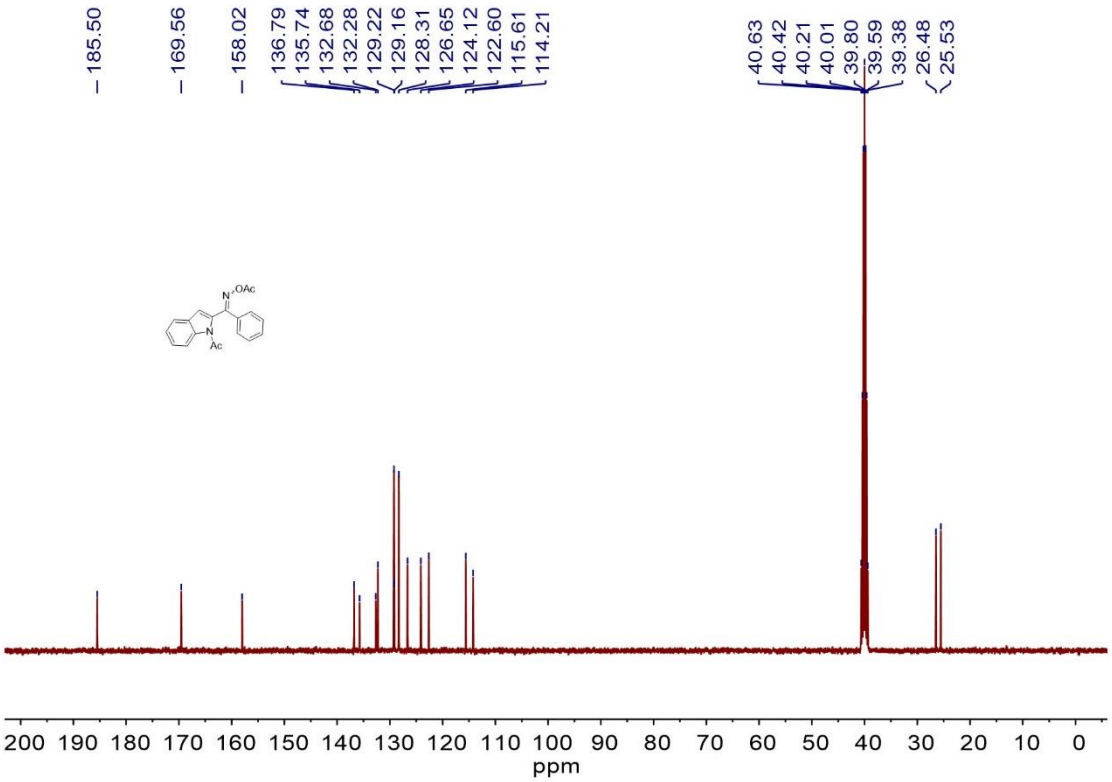


(6-Nitrobenzo[b]thiophen-2-yl)(phenyl)methanone O-acetyl oxime (1ka)

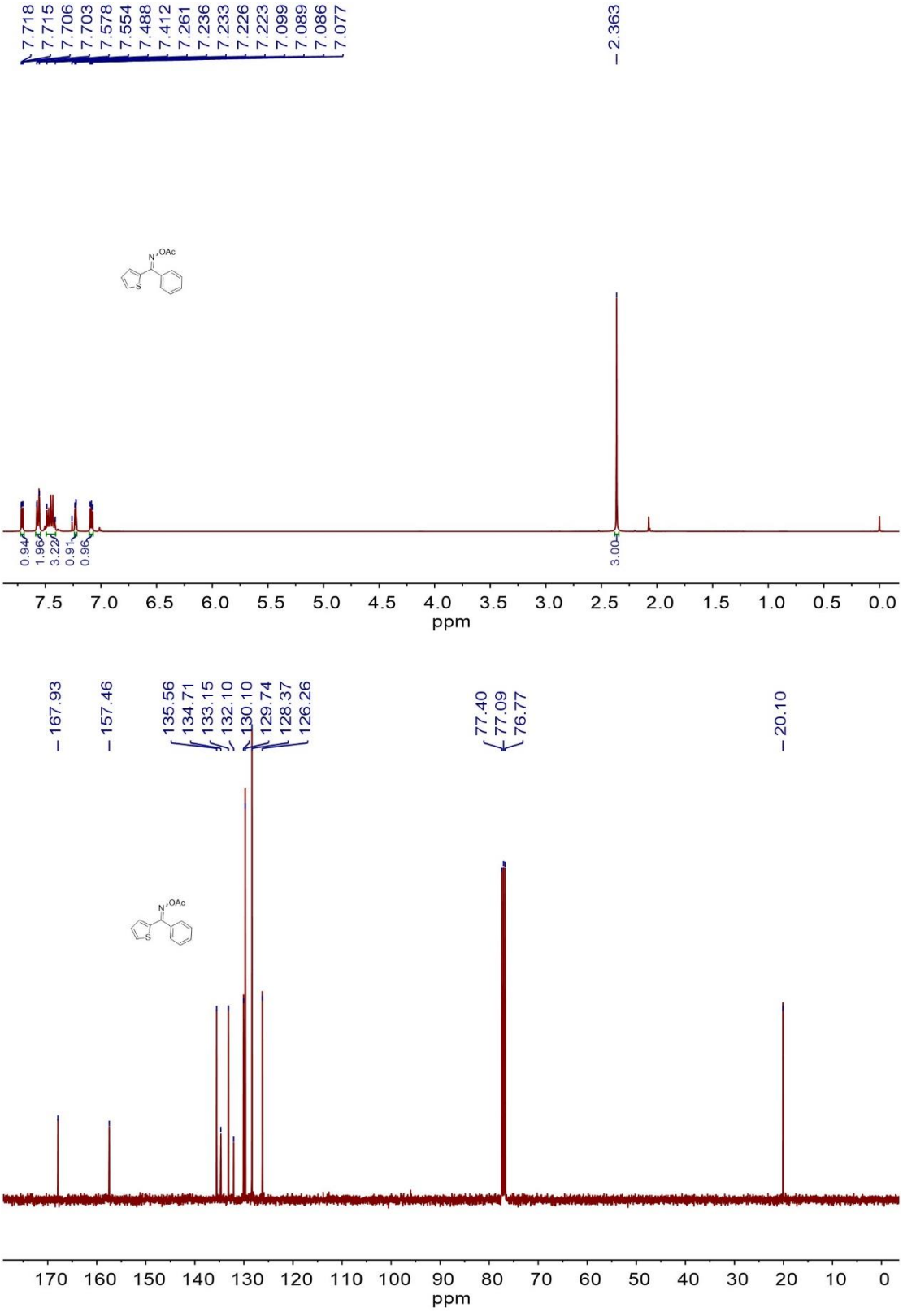


1-(2-((Acetoxyimino)(phenyl)methyl)-1H-indol-1-yl)ethan-1-one (1la)

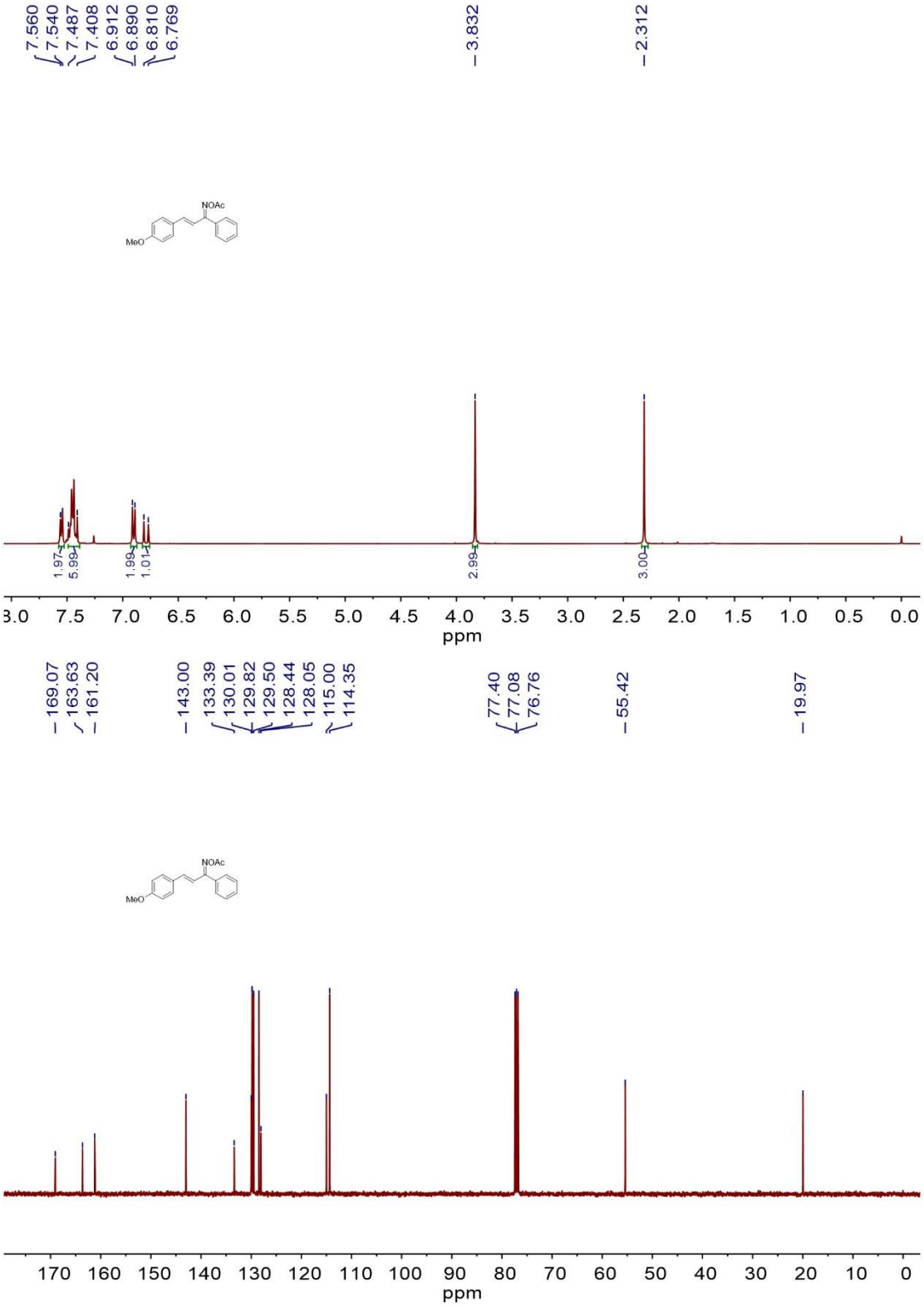




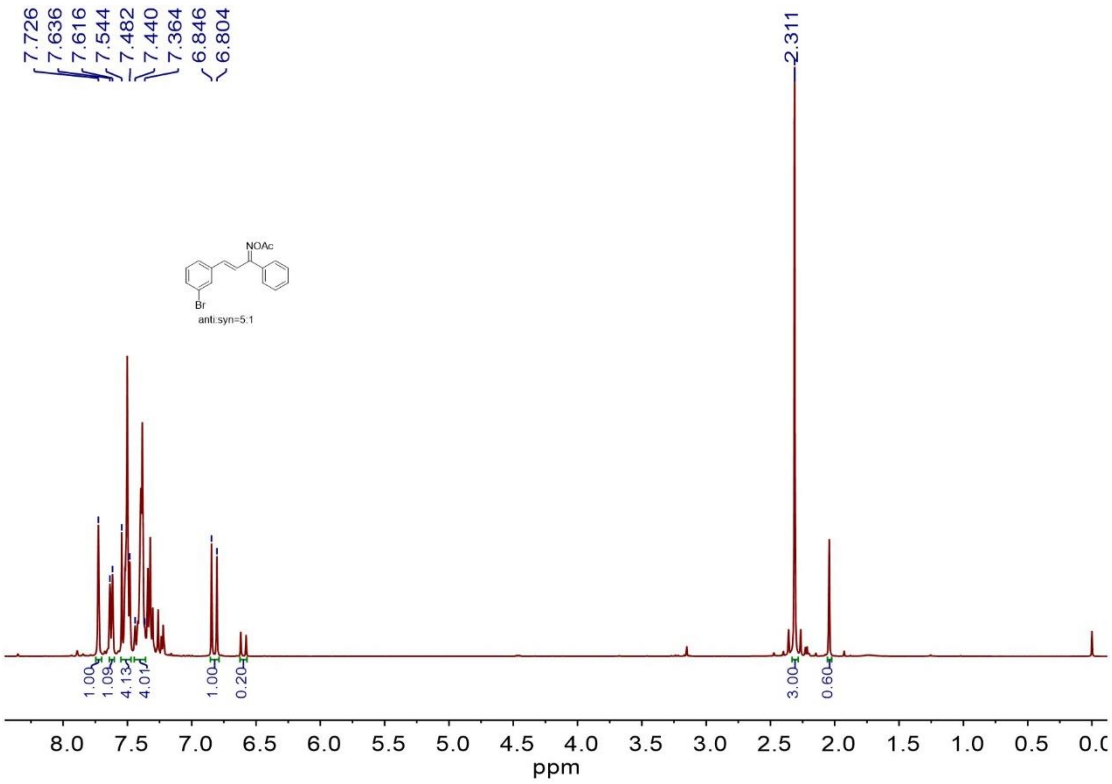
Phenyl(thiophen-2-yl)methanone O-acetyl oxime (1na)

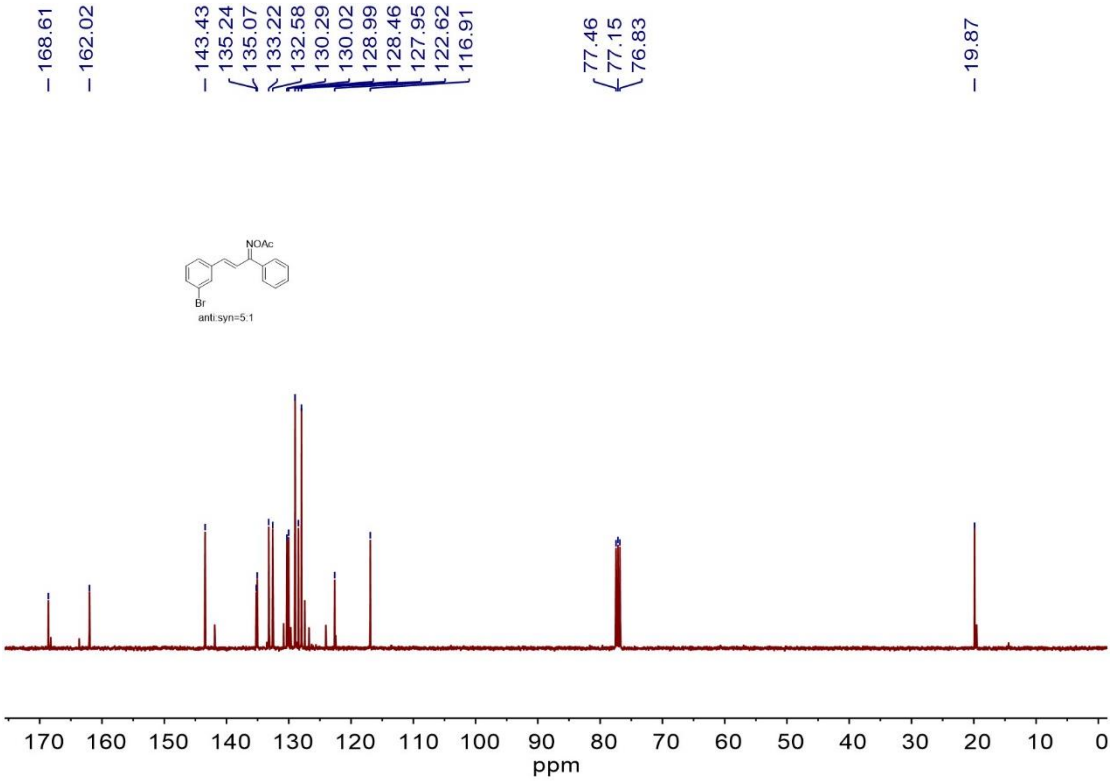


3-(4-Methoxyphenyl)-1-phenylprop-2-en-1-one O-acetyl oxime (1cb)

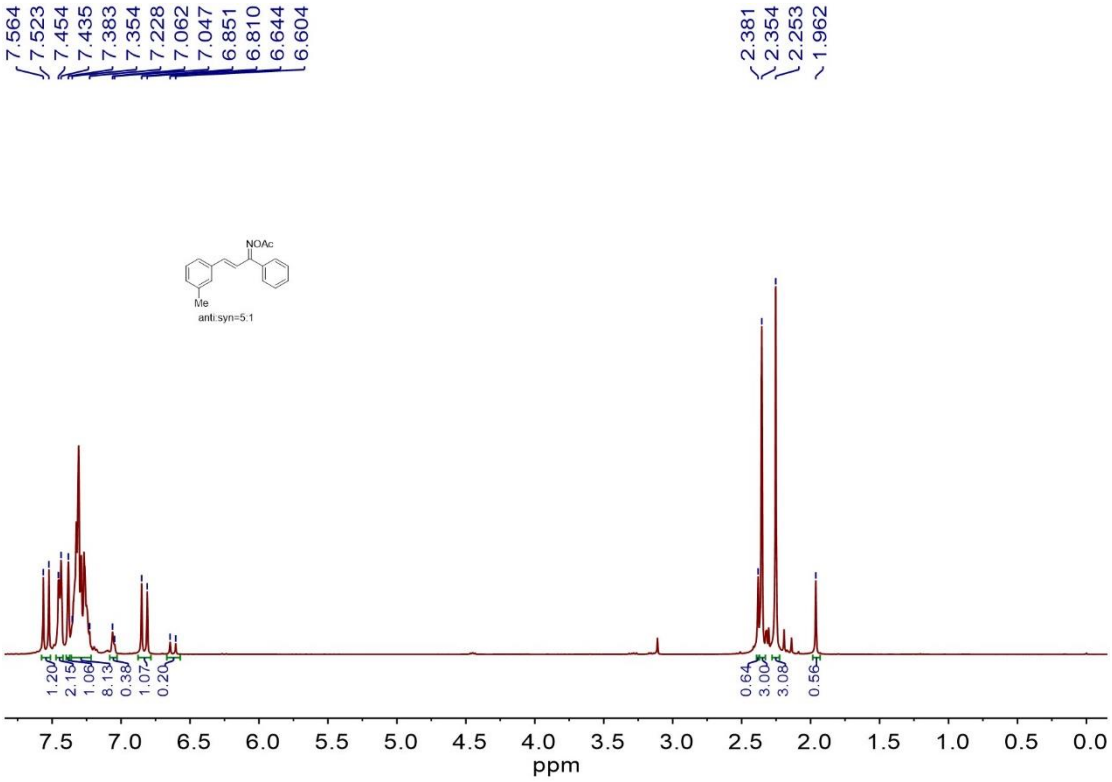


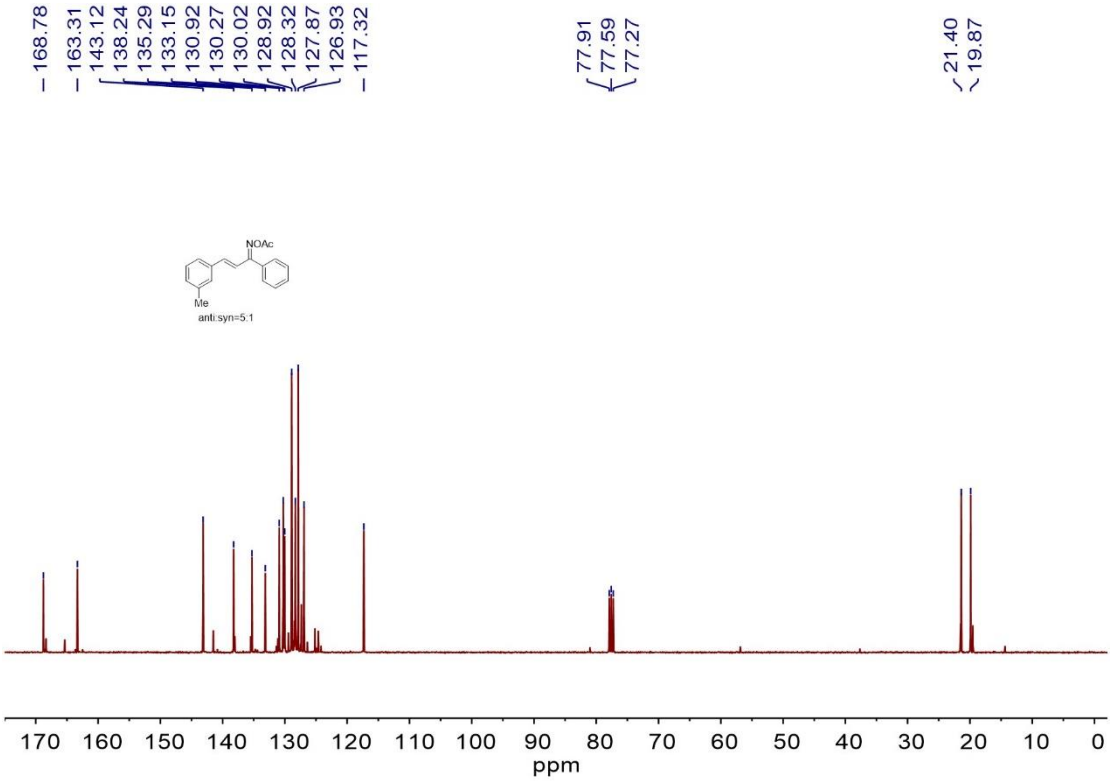
3-(3-Bromophenyl)-1-phenylprop-2-en-1-one O-acetyl oxime (1db)



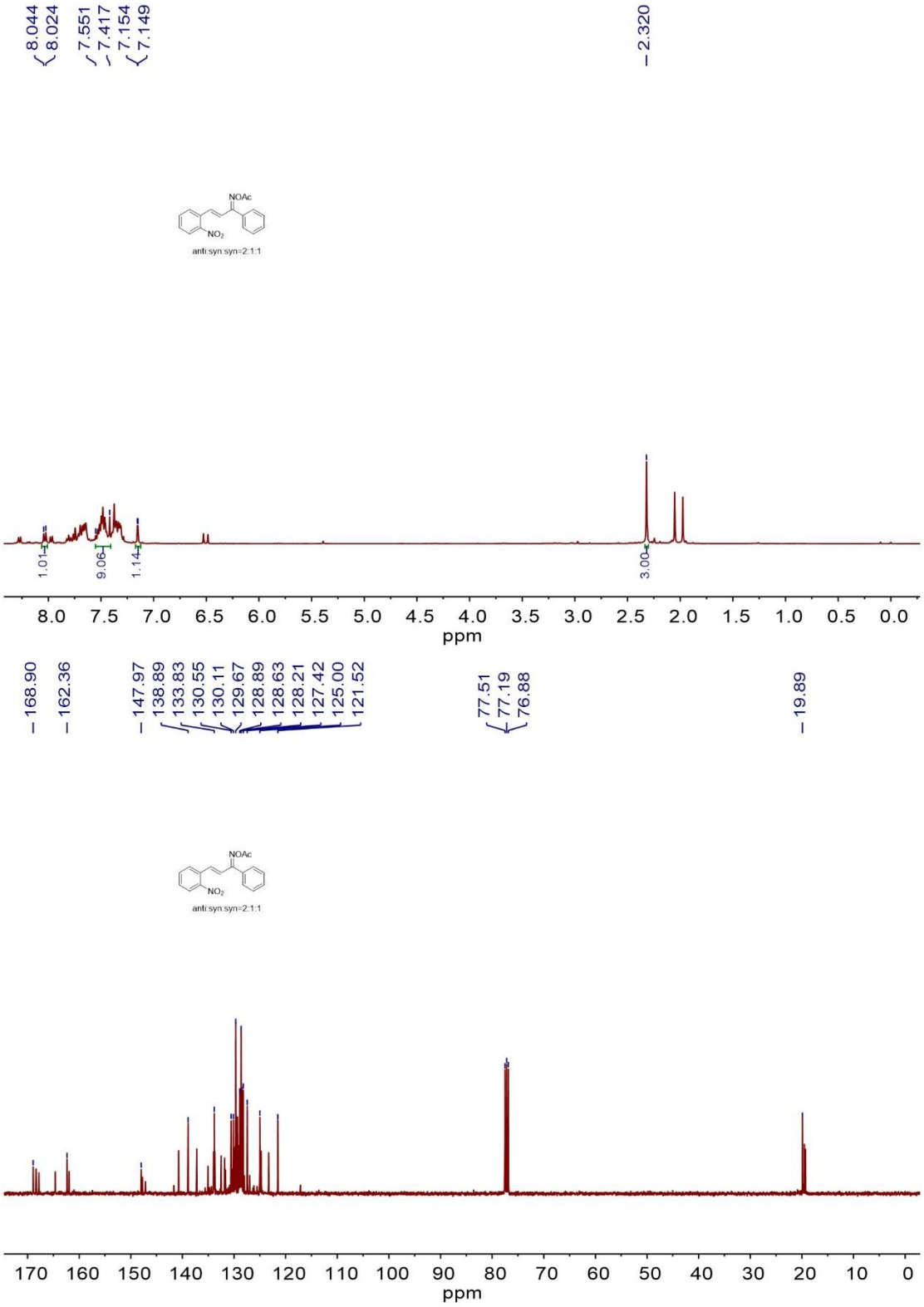


1-Phenyl-3-(m-tolyl)prop-2-en-1-one O-acetyl oxime (1eb)

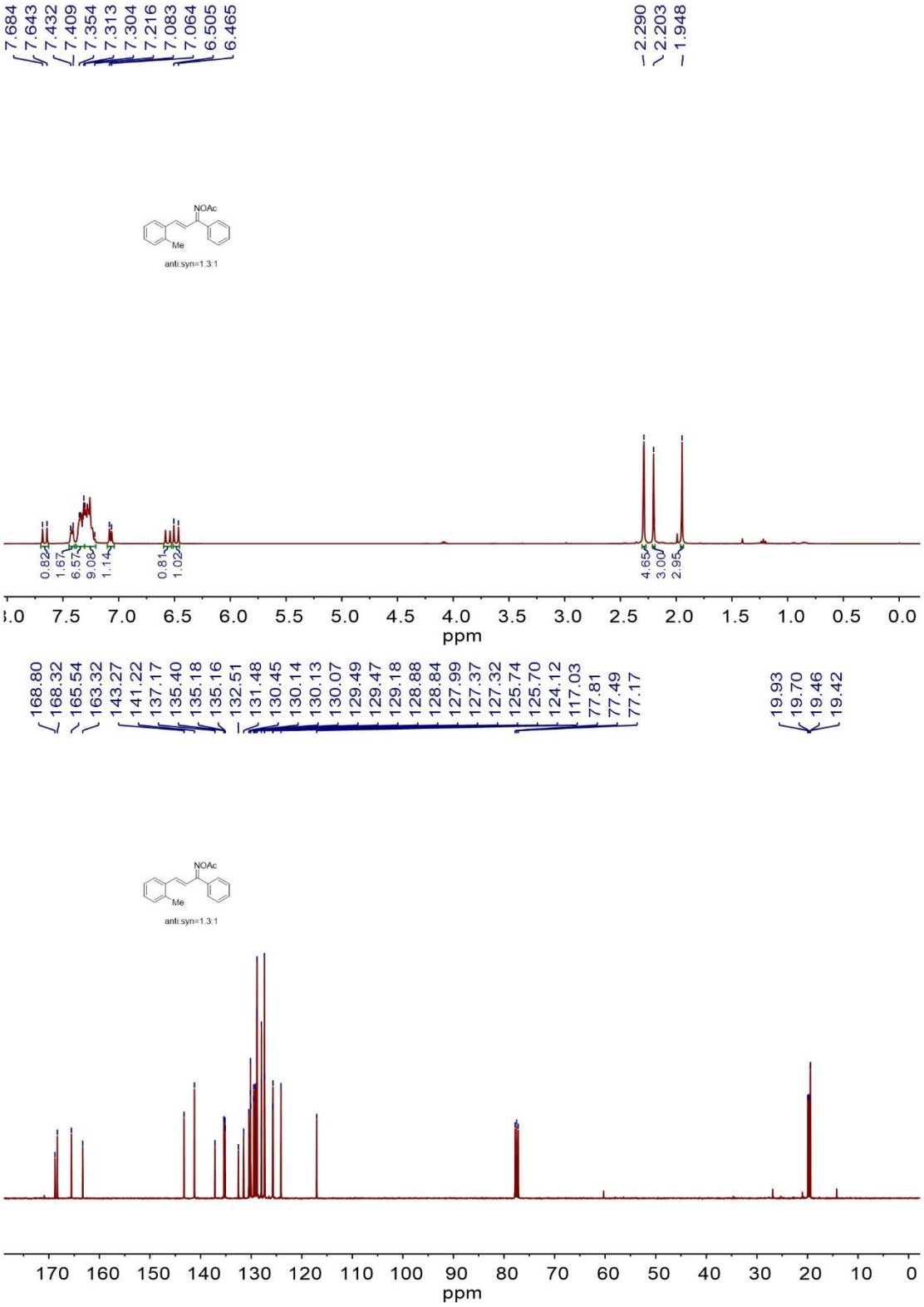




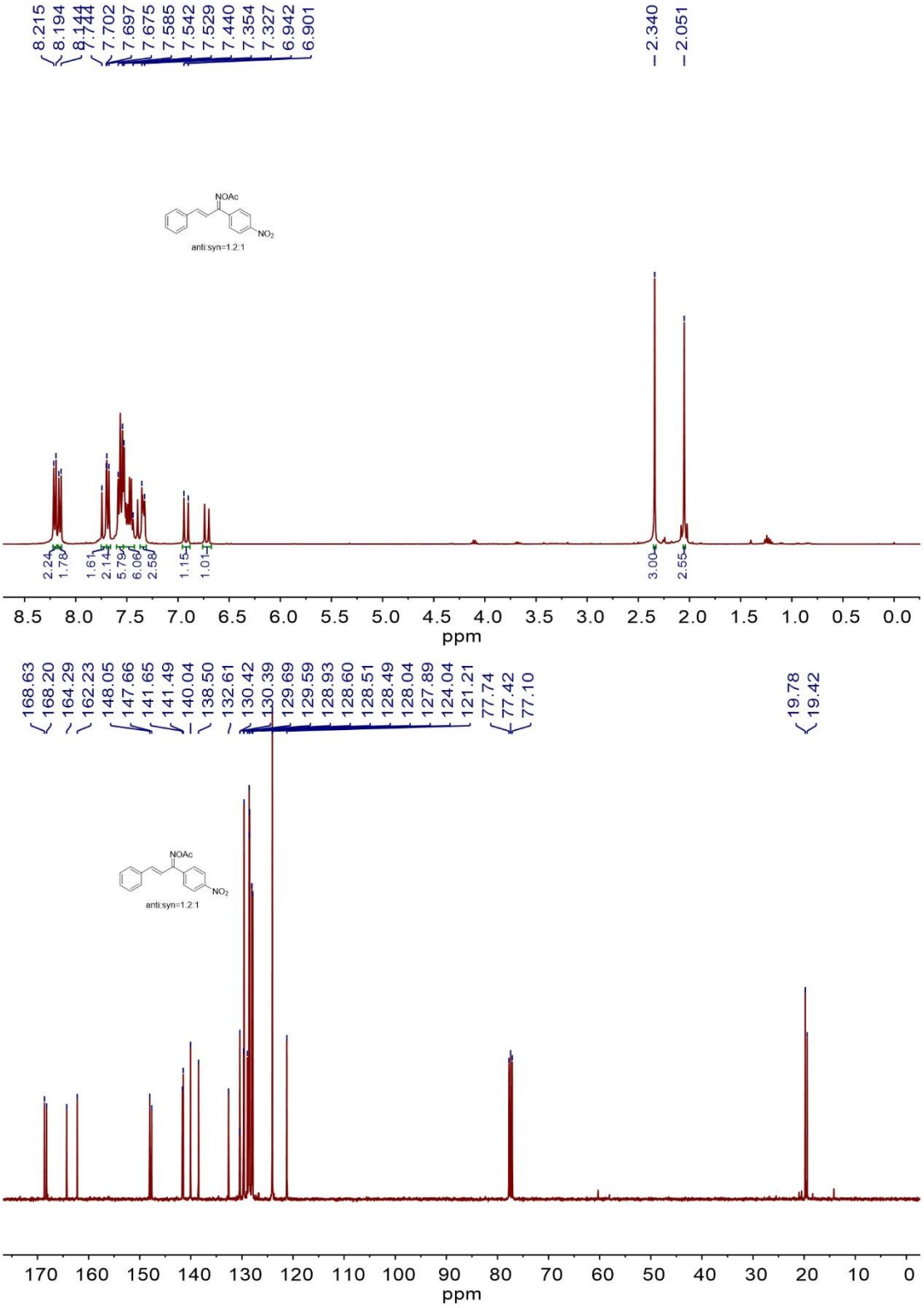
3-(2-Nitrophenyl)-1-phenylprop-2-en-1-one O-acetyl oxime (1fb)



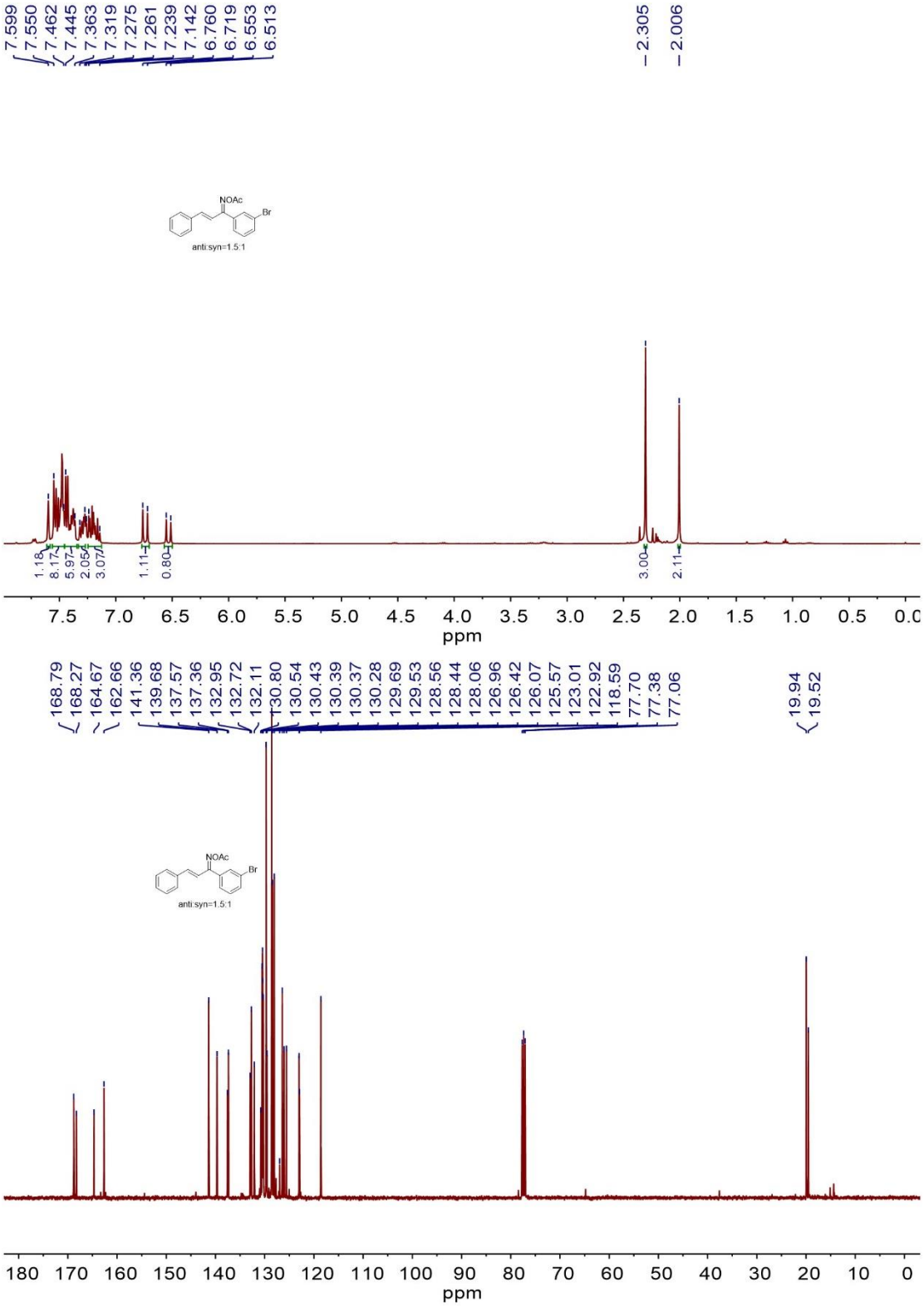
1-Phenyl-3-(o-tolyl)prop-2-en-1-one O-acetyl oxime (1gb)



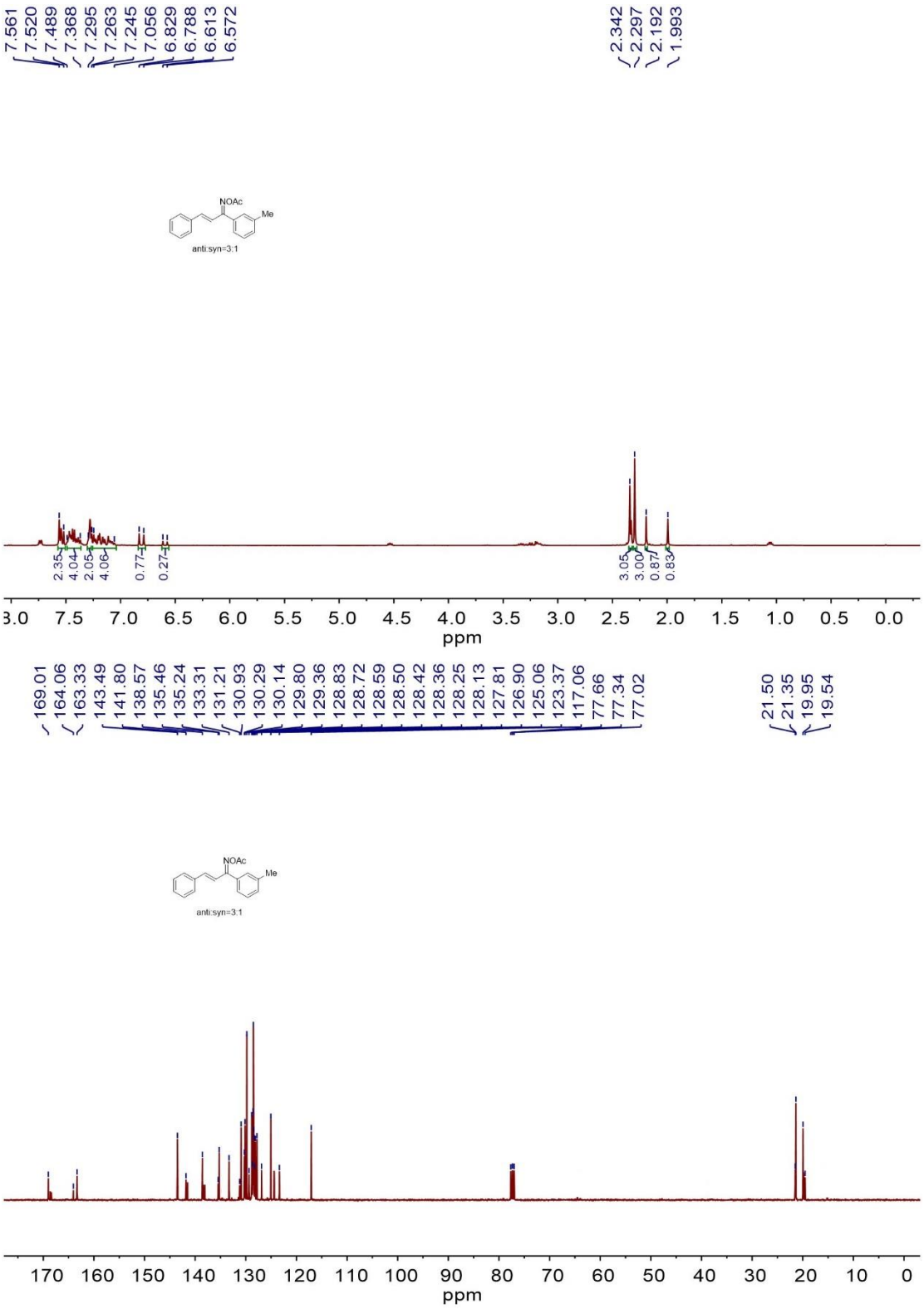
1-(4-Nitrophenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1hb)



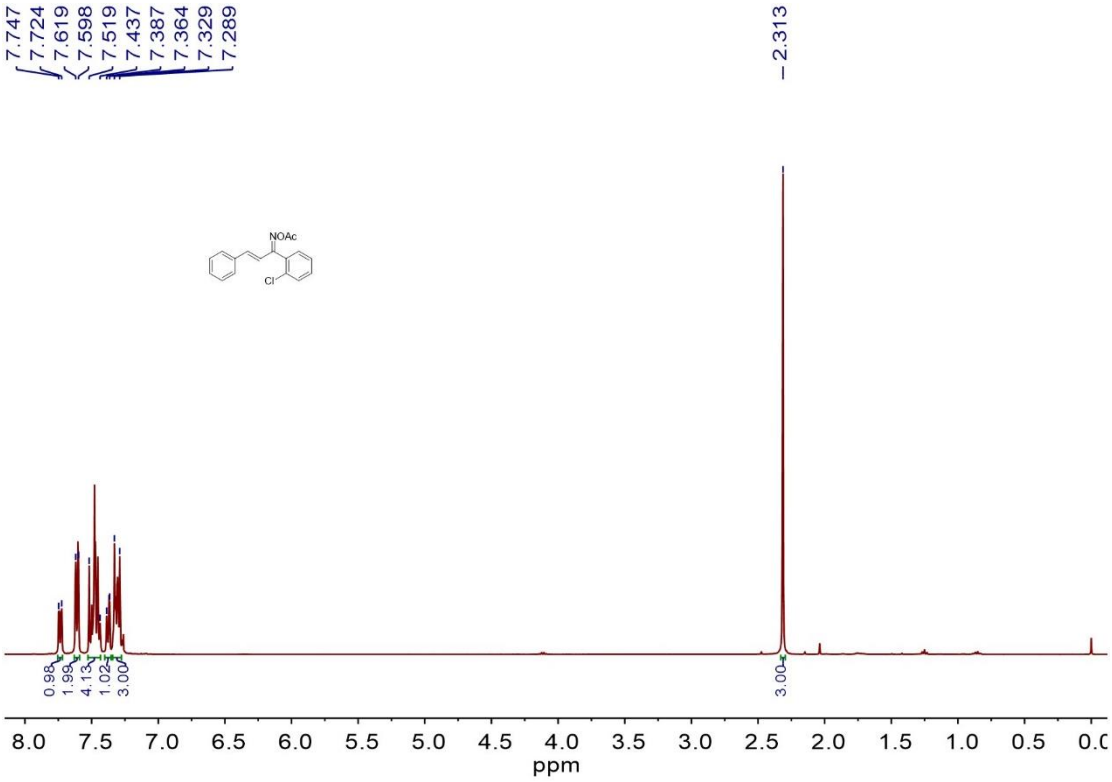
1-(3-Bromophenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1jb)

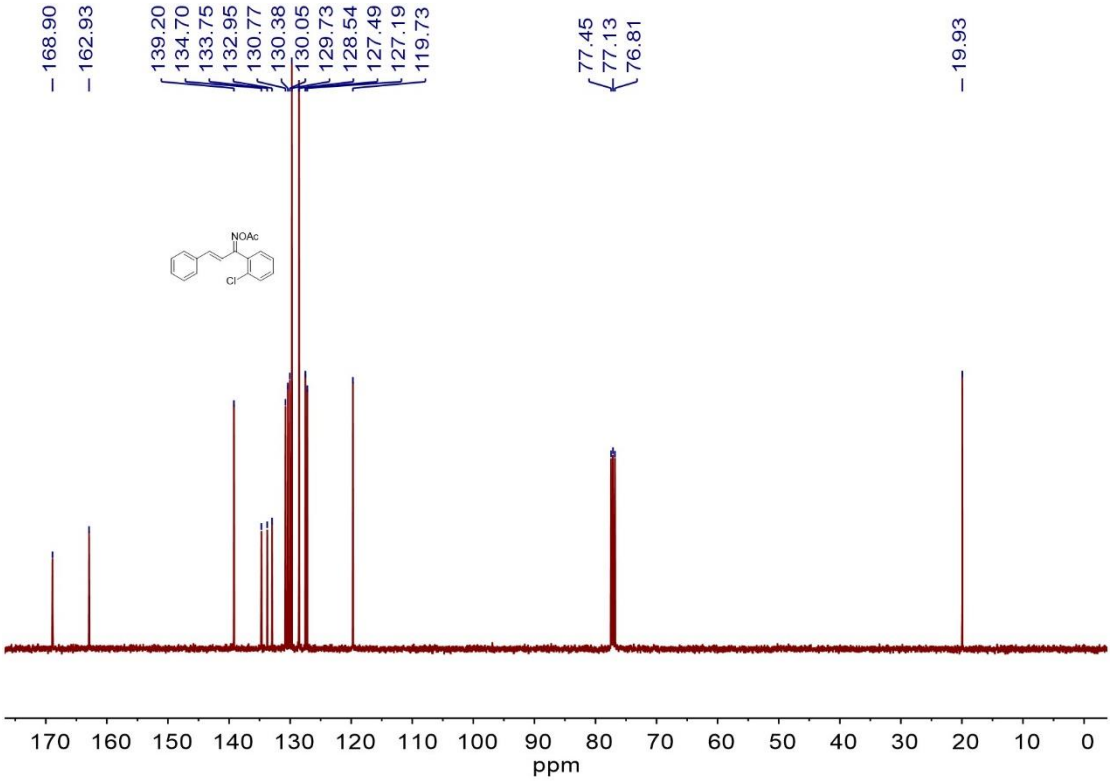


3-Phenyl-1-(m-tolyl)prop-2-en-1-one O-acetyl oxime (1kb)

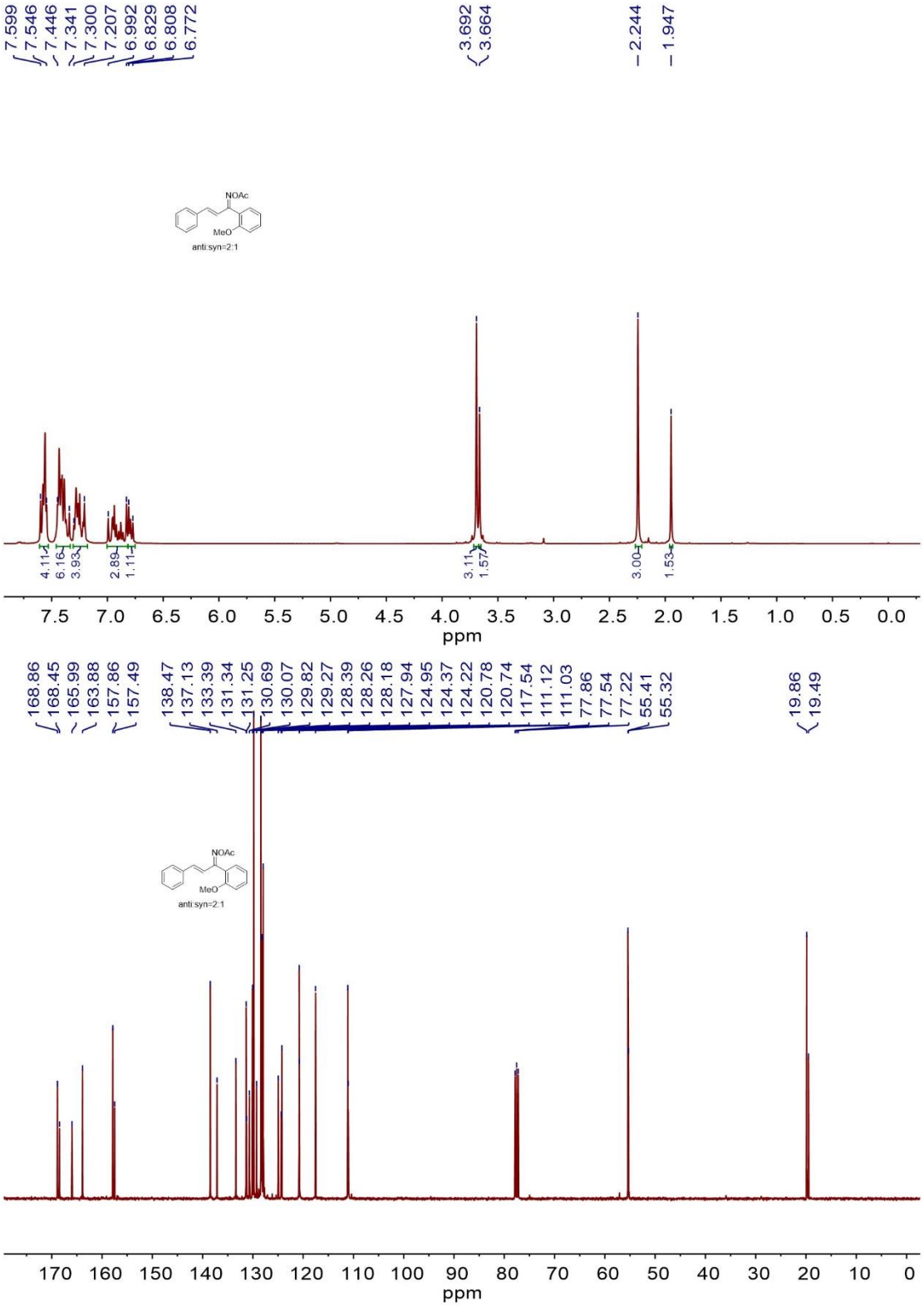


1-(2-Chlorophenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1lb)

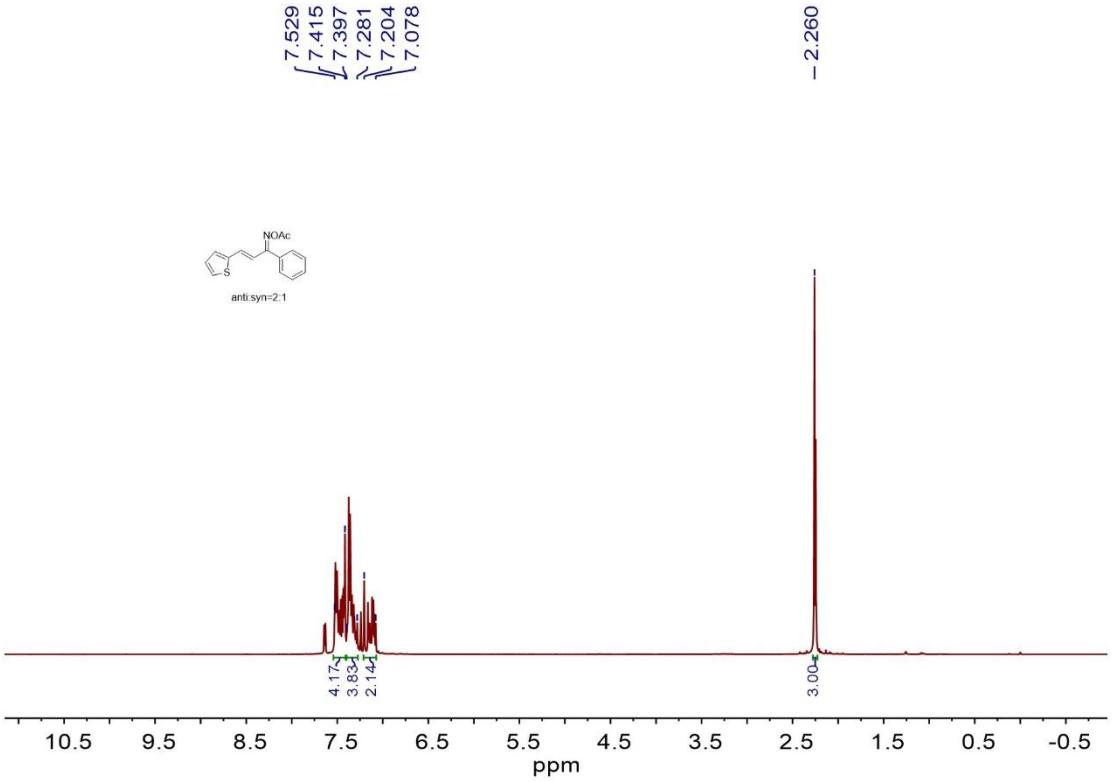


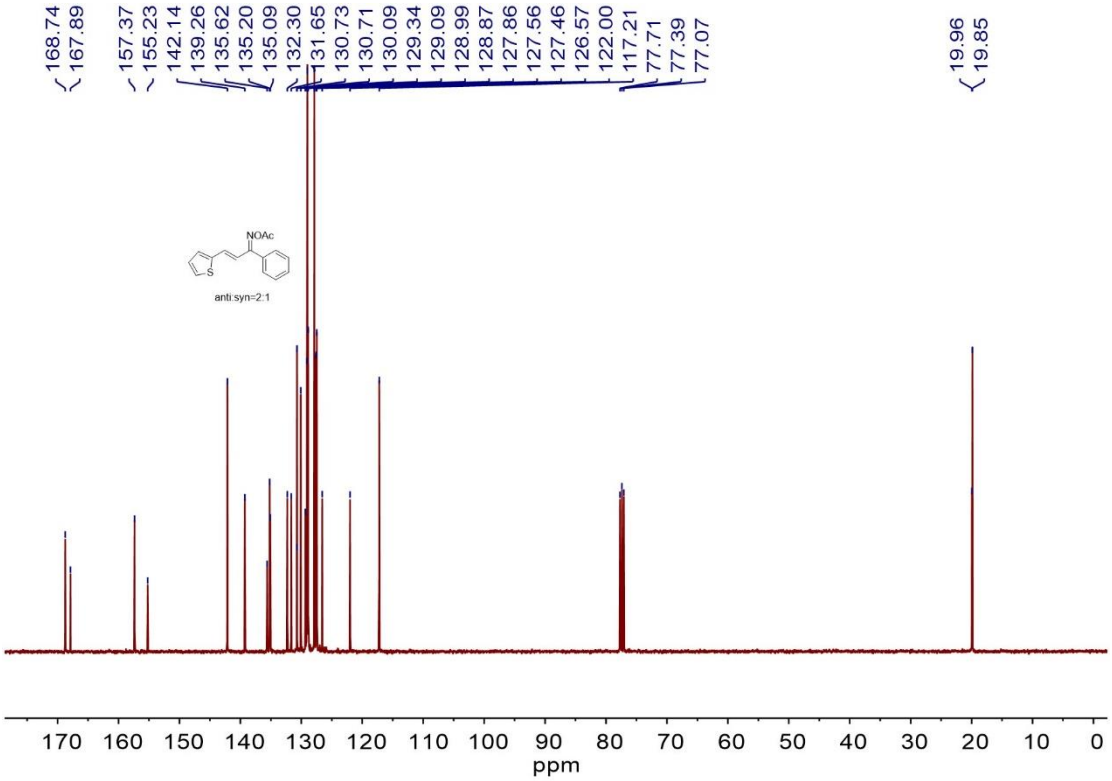


1-(2-Methoxyphenyl)-3-phenylprop-2-en-1-one O-acetyl oxime (1mb)

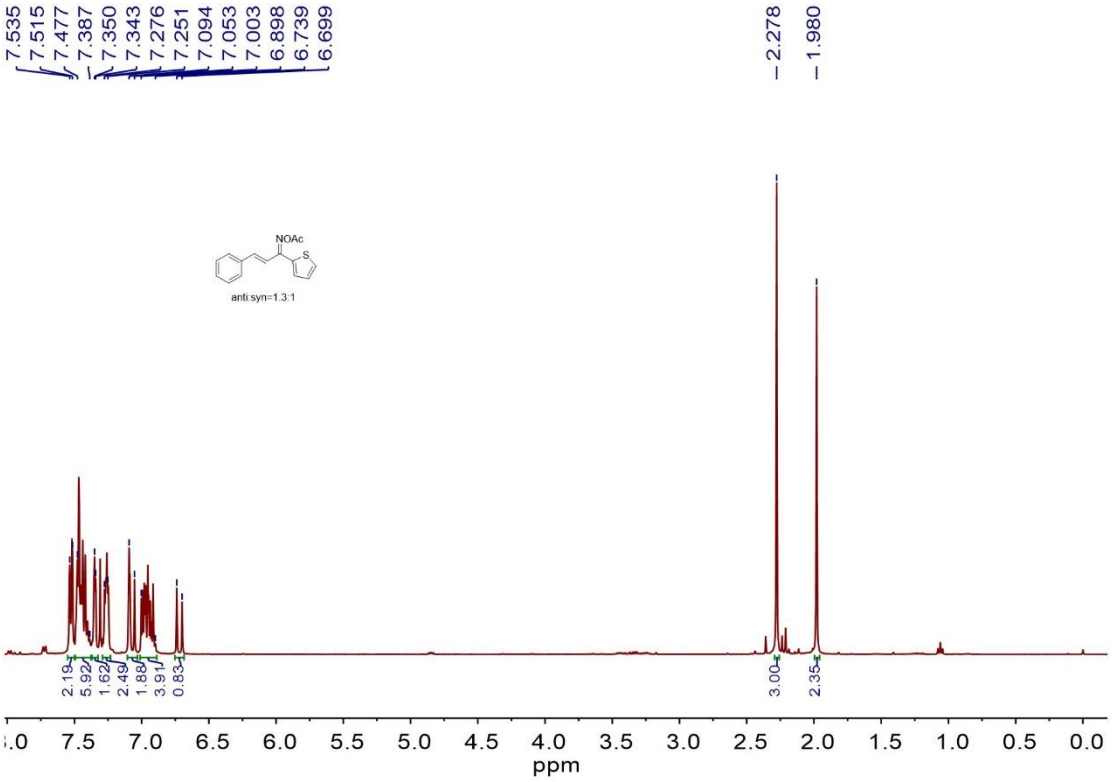


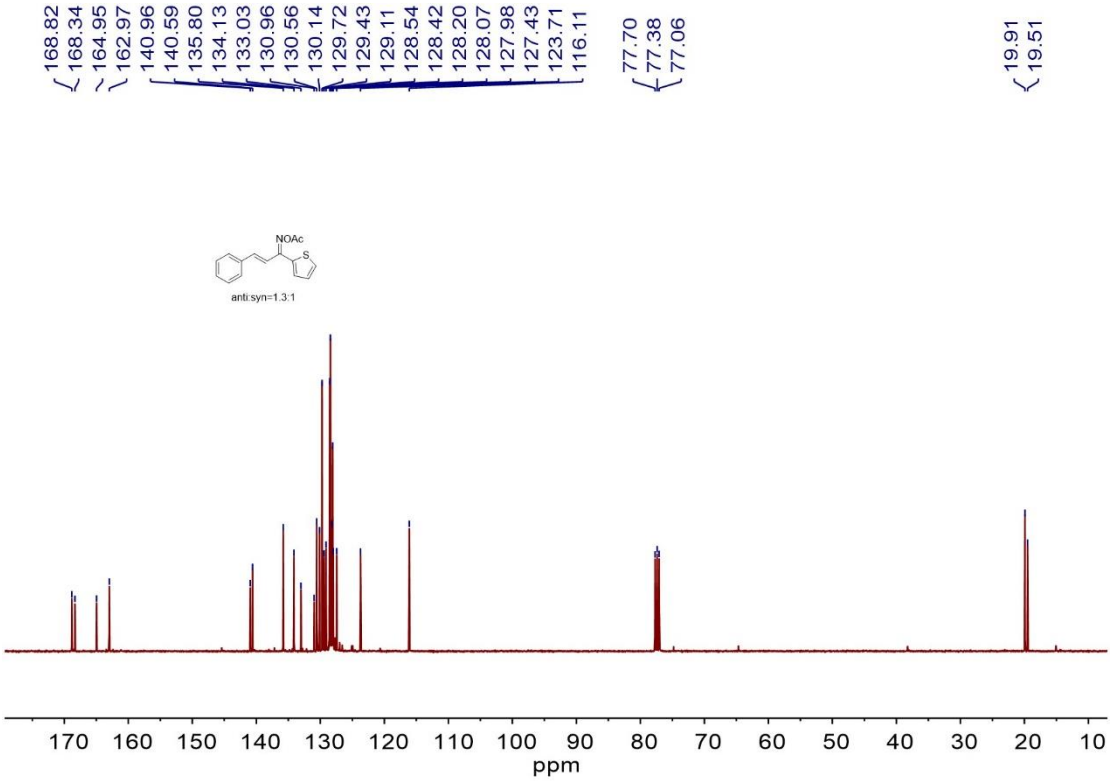
1-Phenyl-3-(thiophen-2-yl)prop-2-en-1-one O-acetyl oxime (1nb)



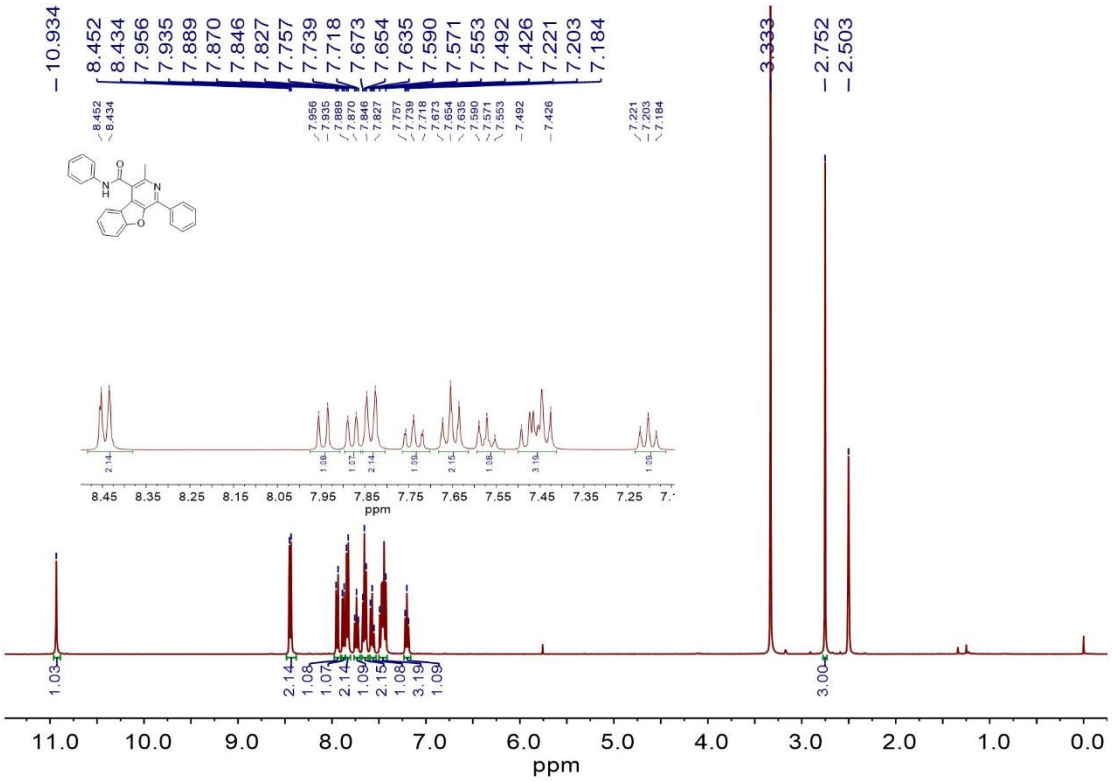


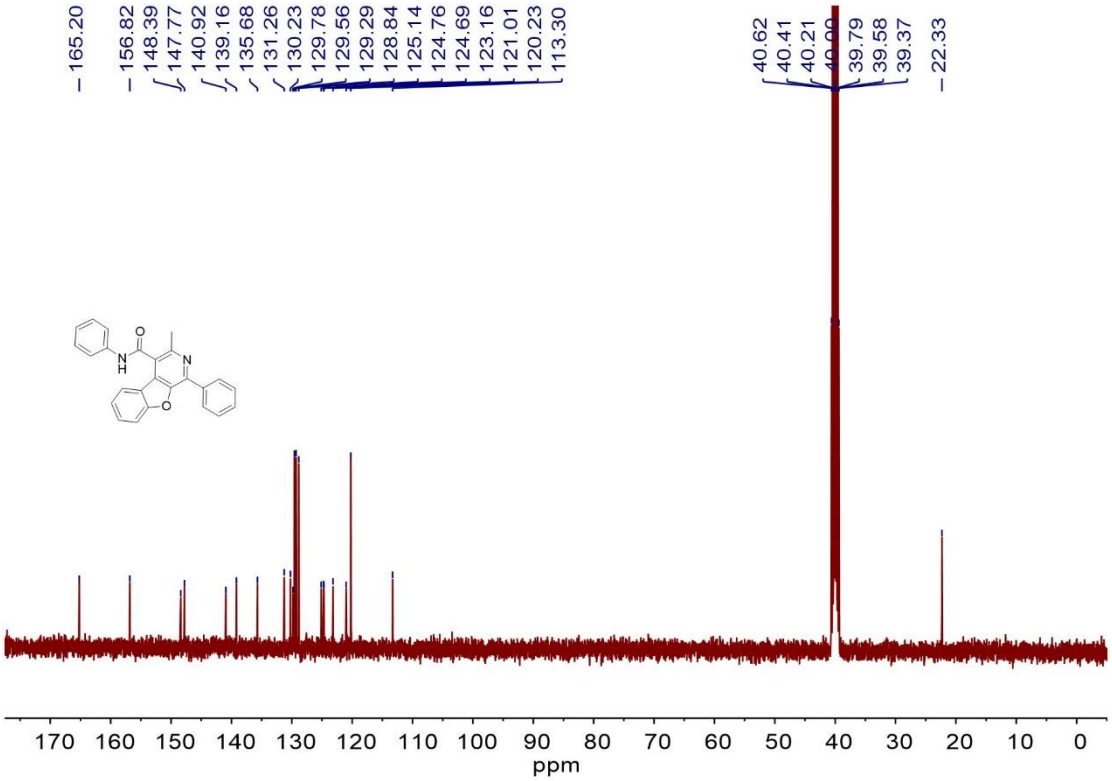
3-Phenyl-1-(thiophen-2-yl)prop-2-en-1-one O-acetyl oxime (1ob)



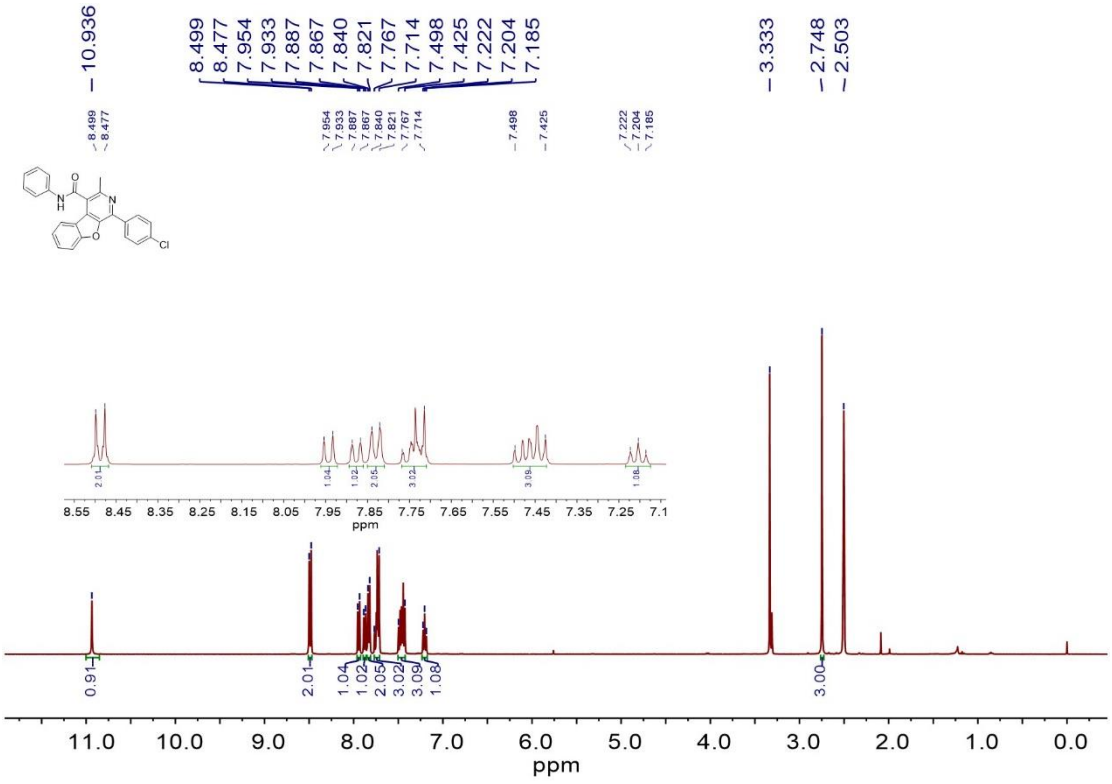


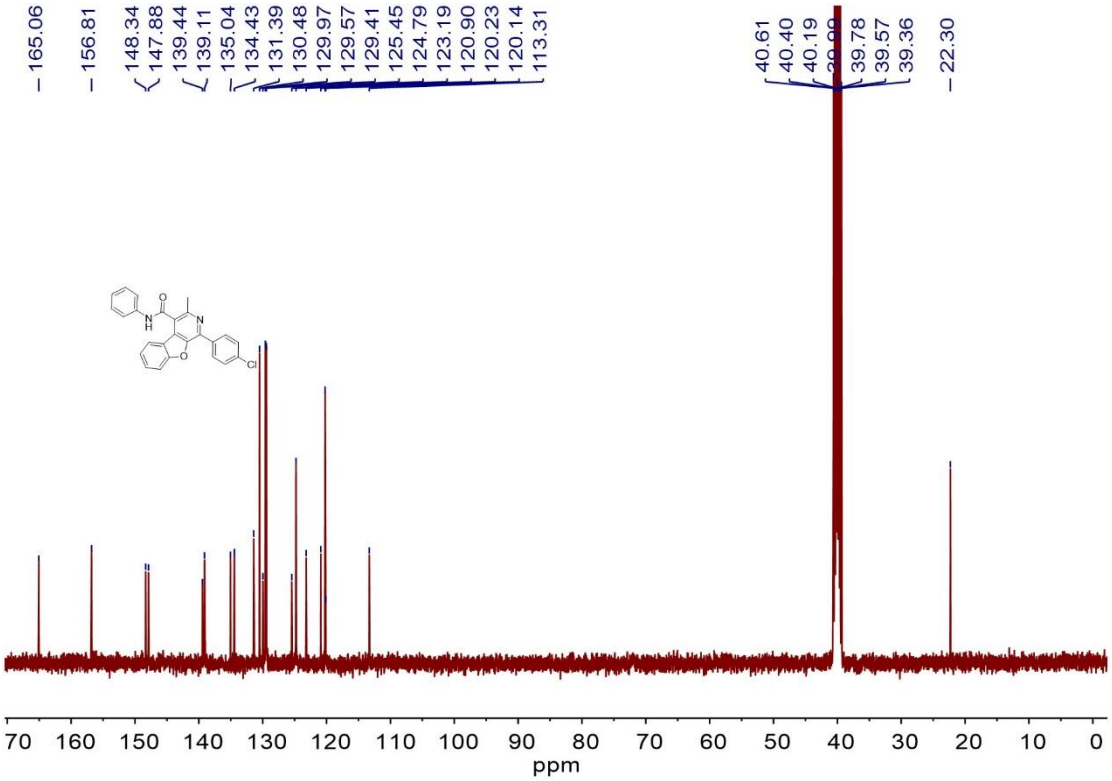
3-Methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3a)



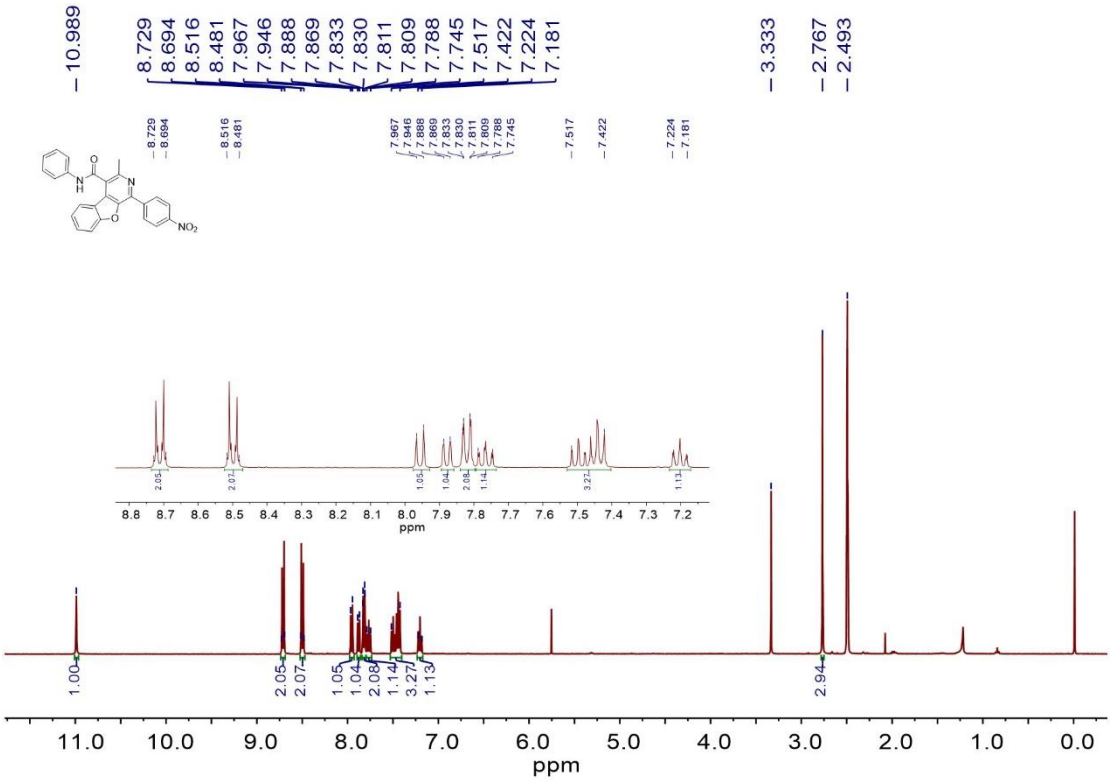


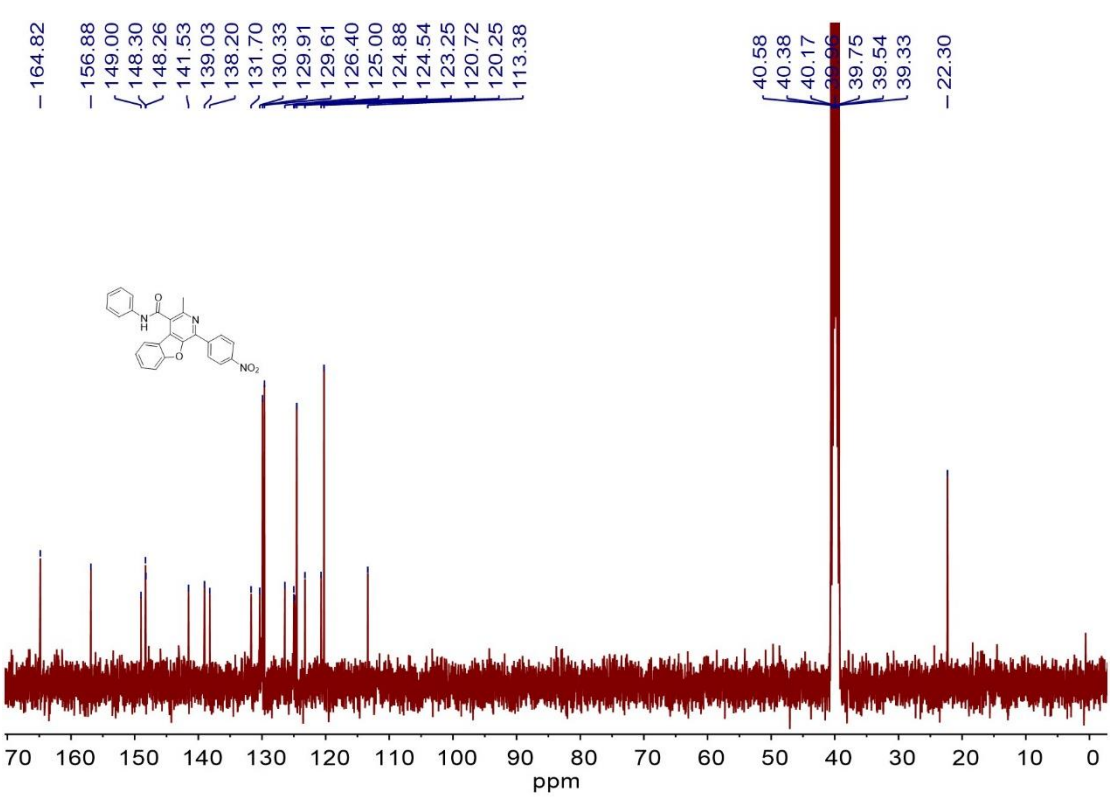
1-(4-Chlorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3b)



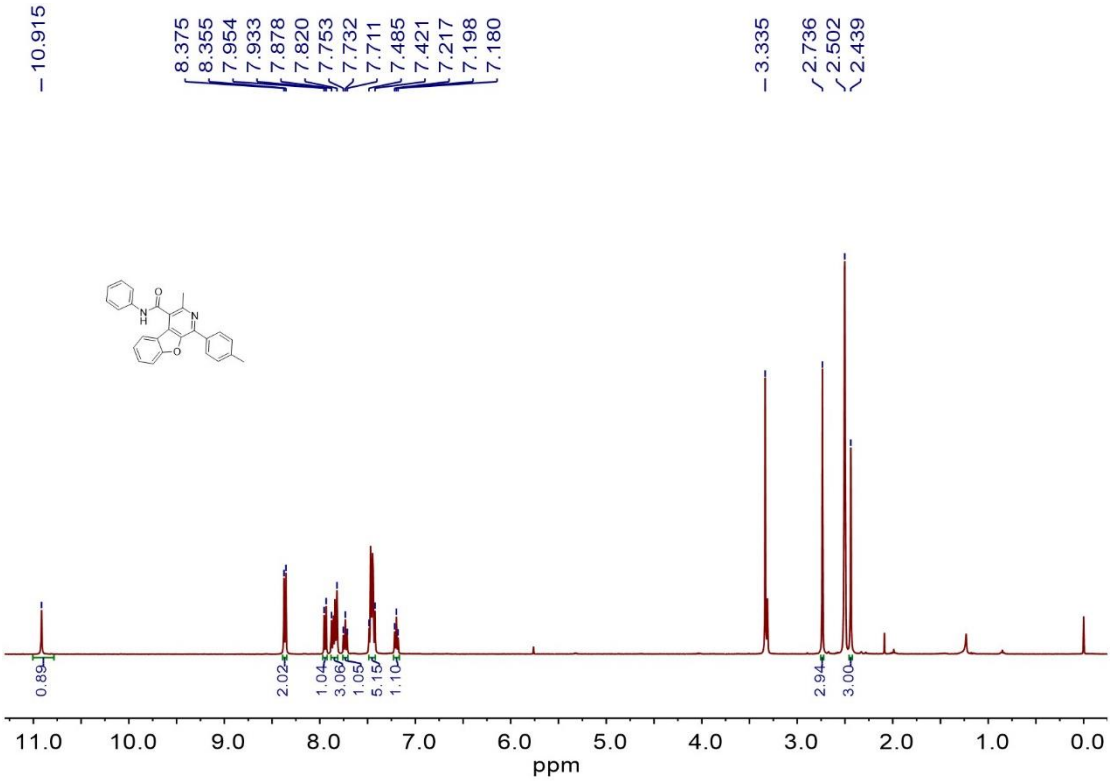


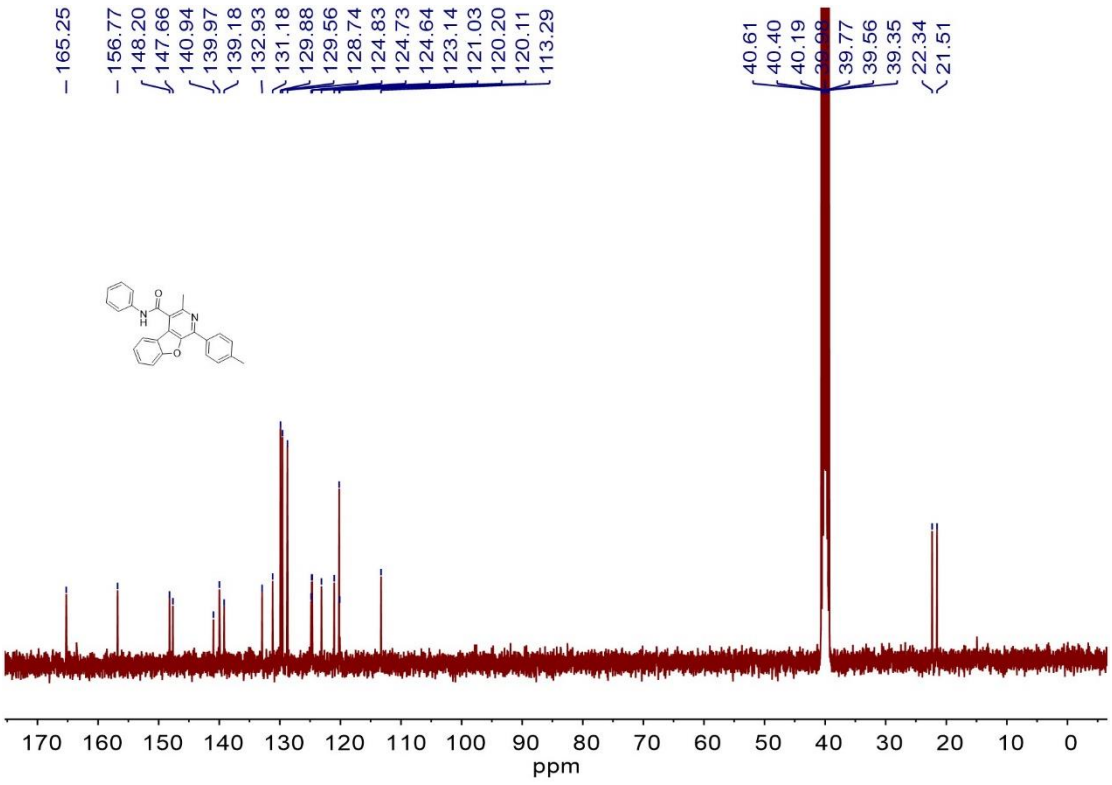
3-Methyl-1-(4-nitrophenyl)-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3c)



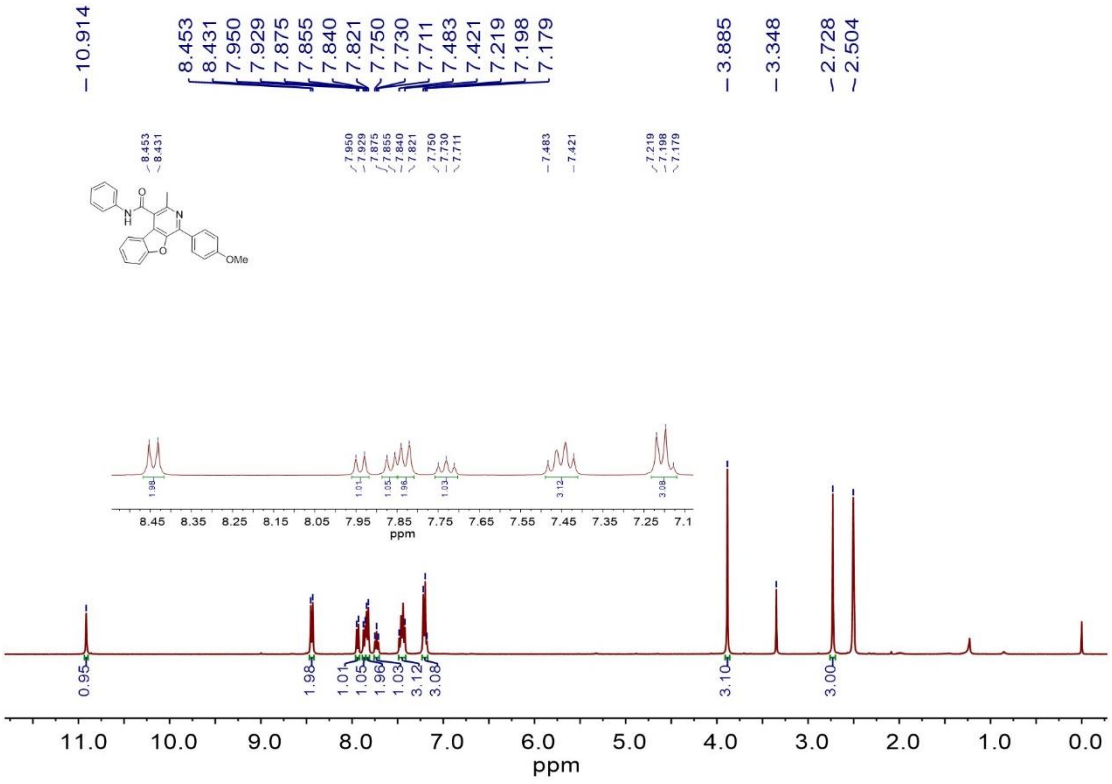


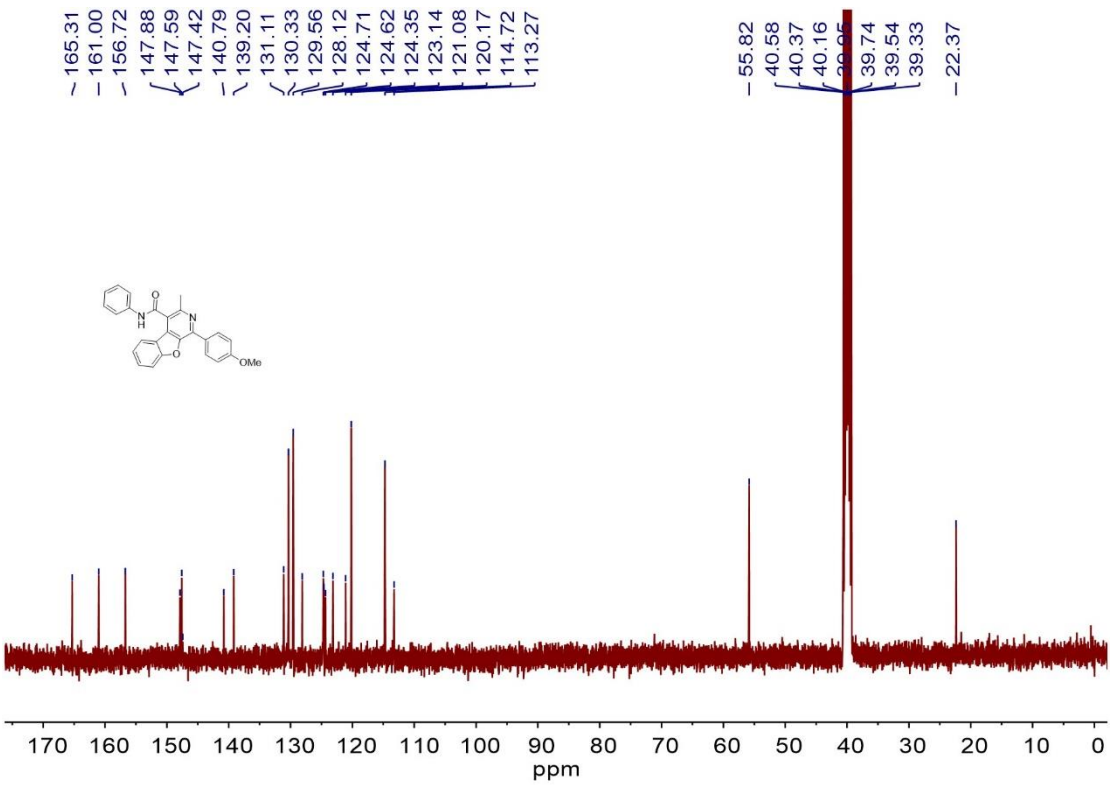
3-Methyl-N-phenyl-1-(p-tolyl)benzofuro[2,3-*c*]pyridine-4-carboxamide (3d)



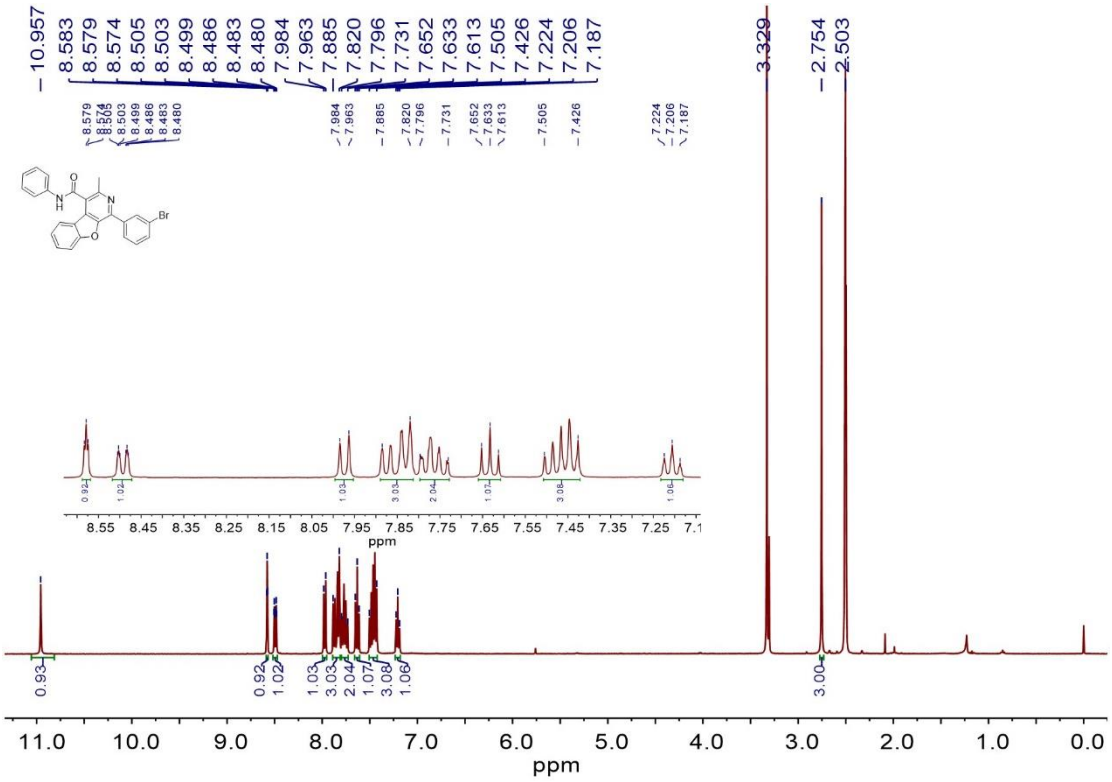


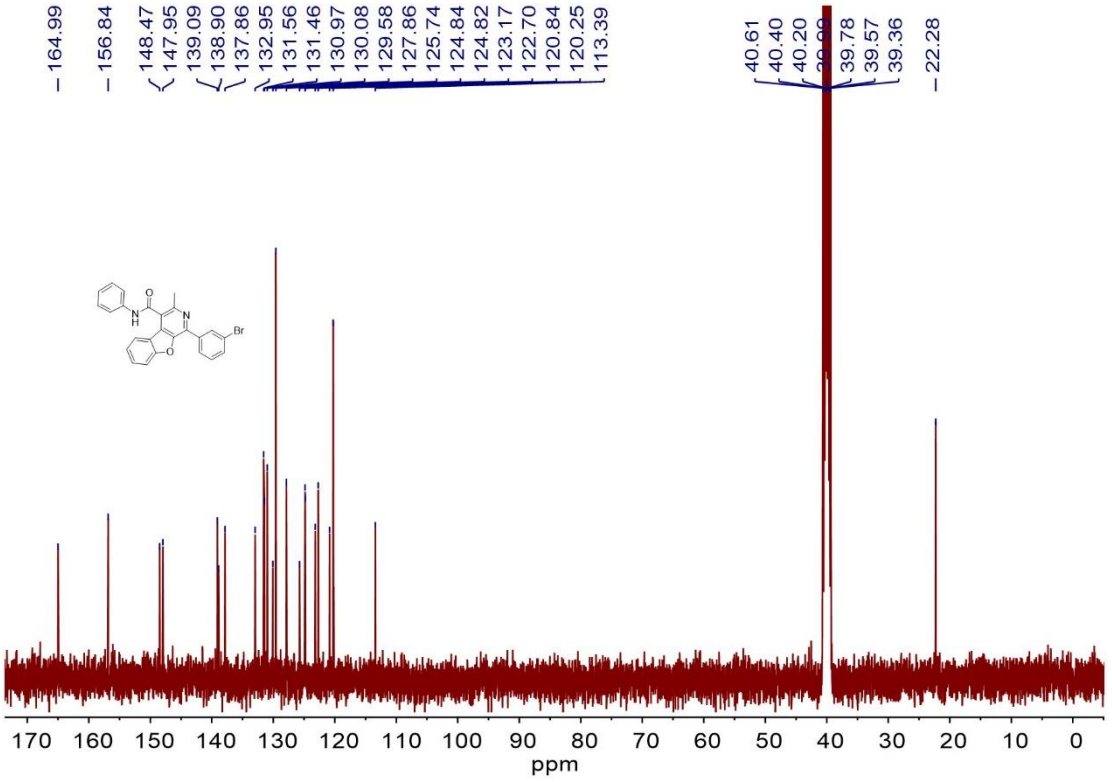
1-(4-Methoxyphenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3e)



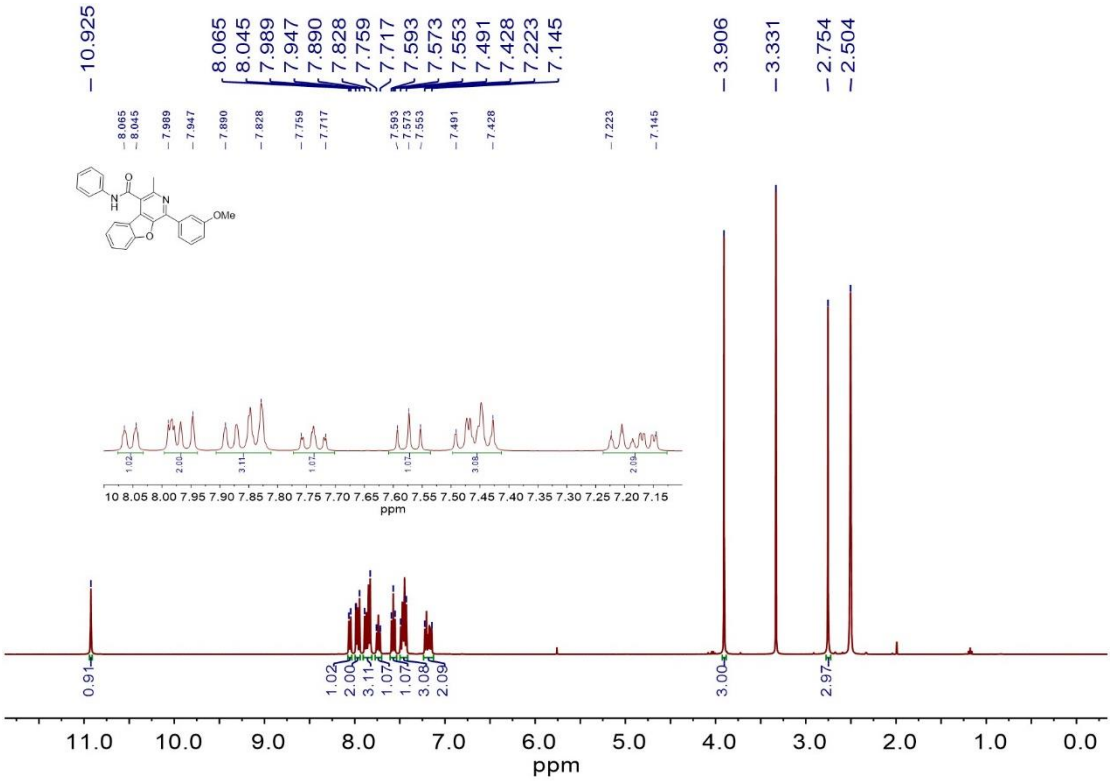


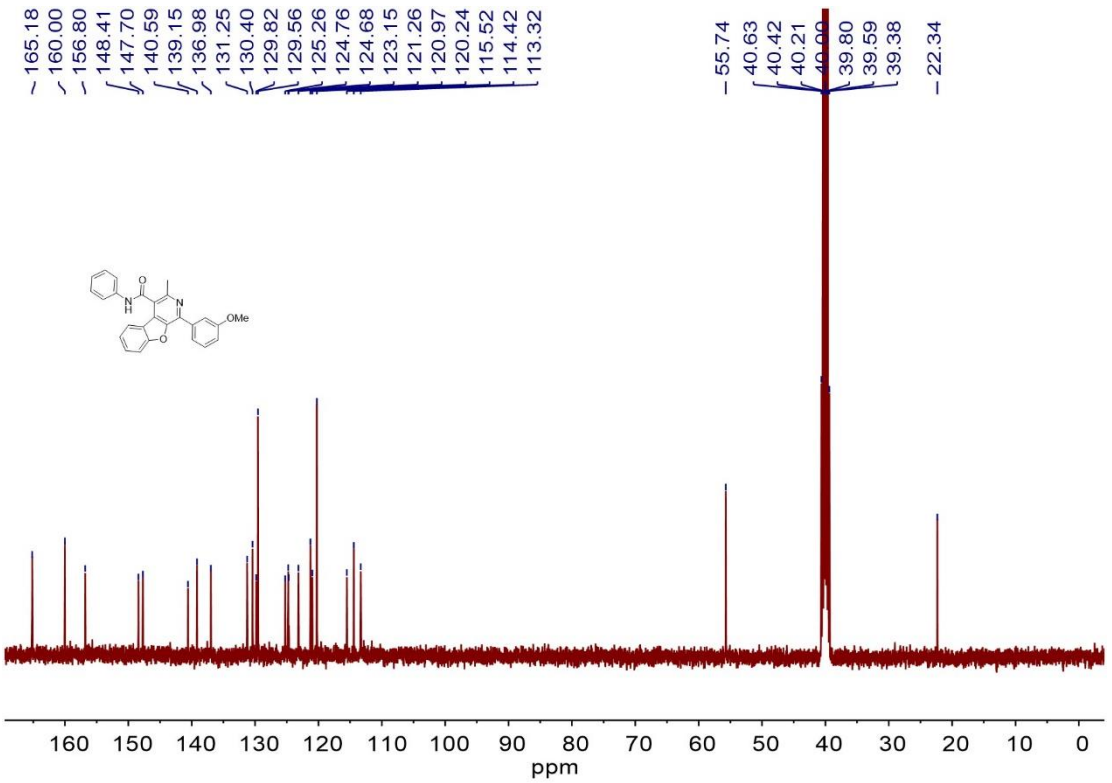
1-(3-Bromophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3f)



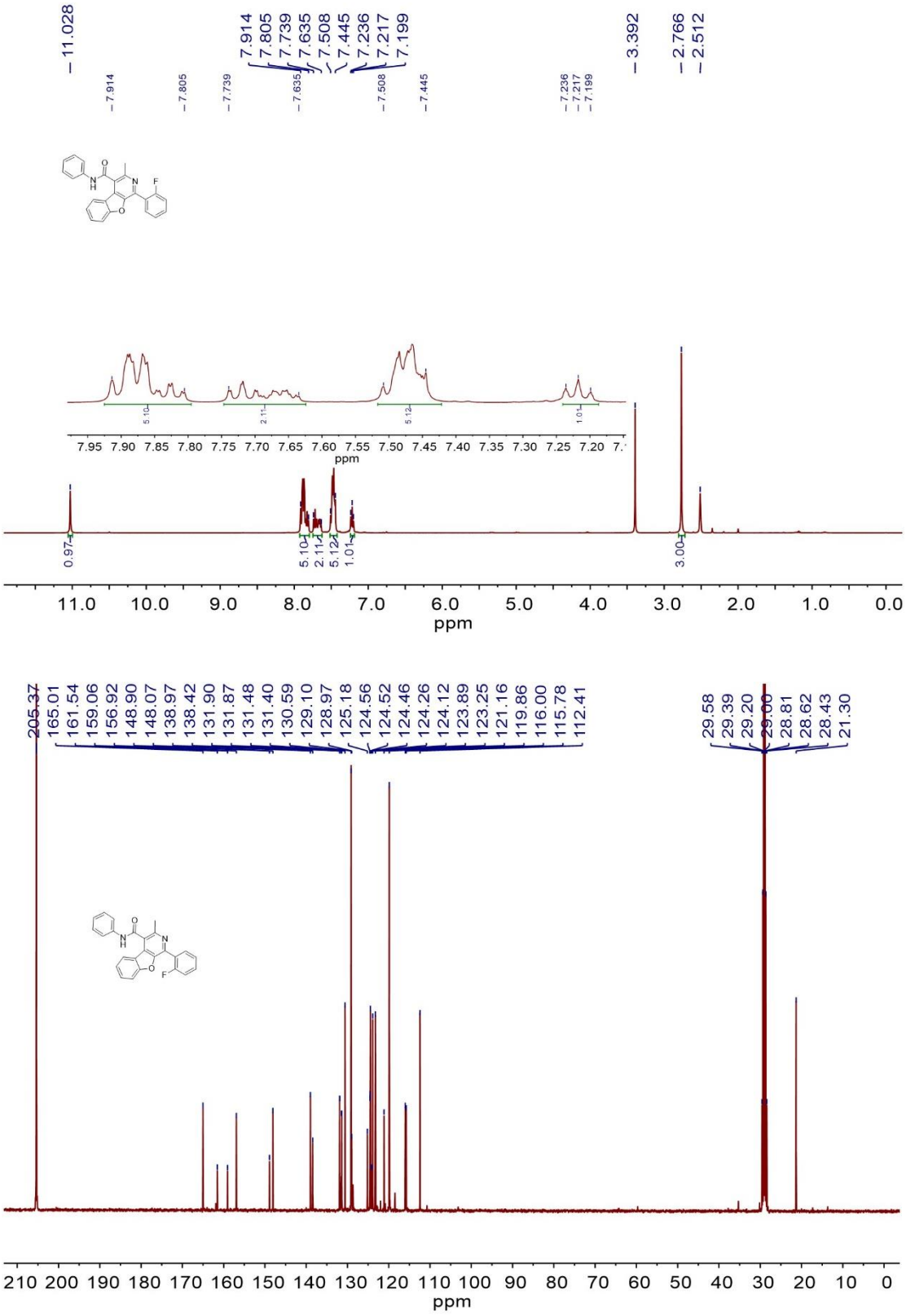


1-(3-Methoxyphenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3g)

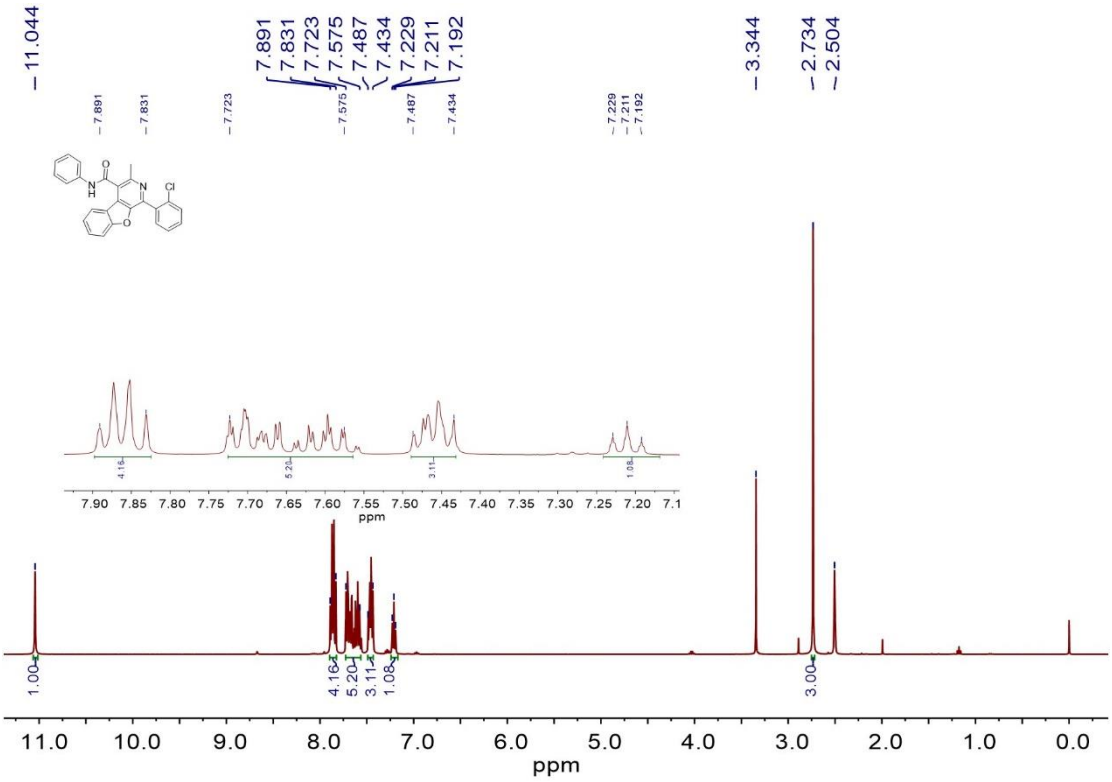


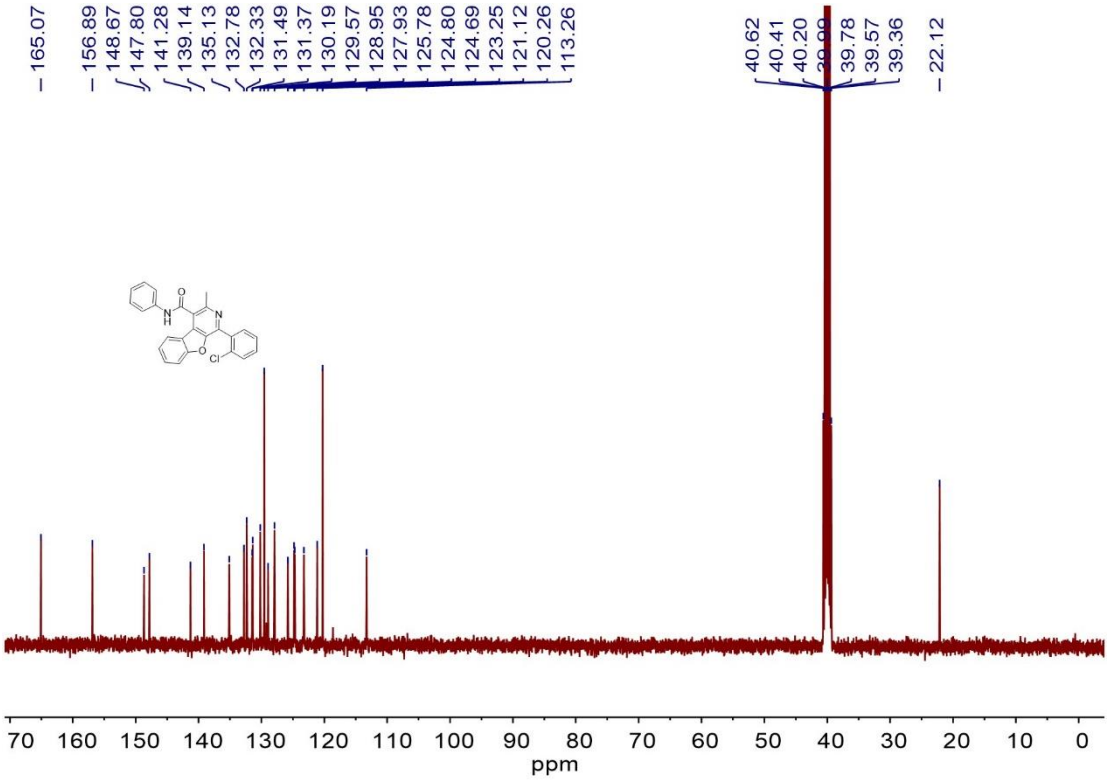


1-(2-Fluorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3h)

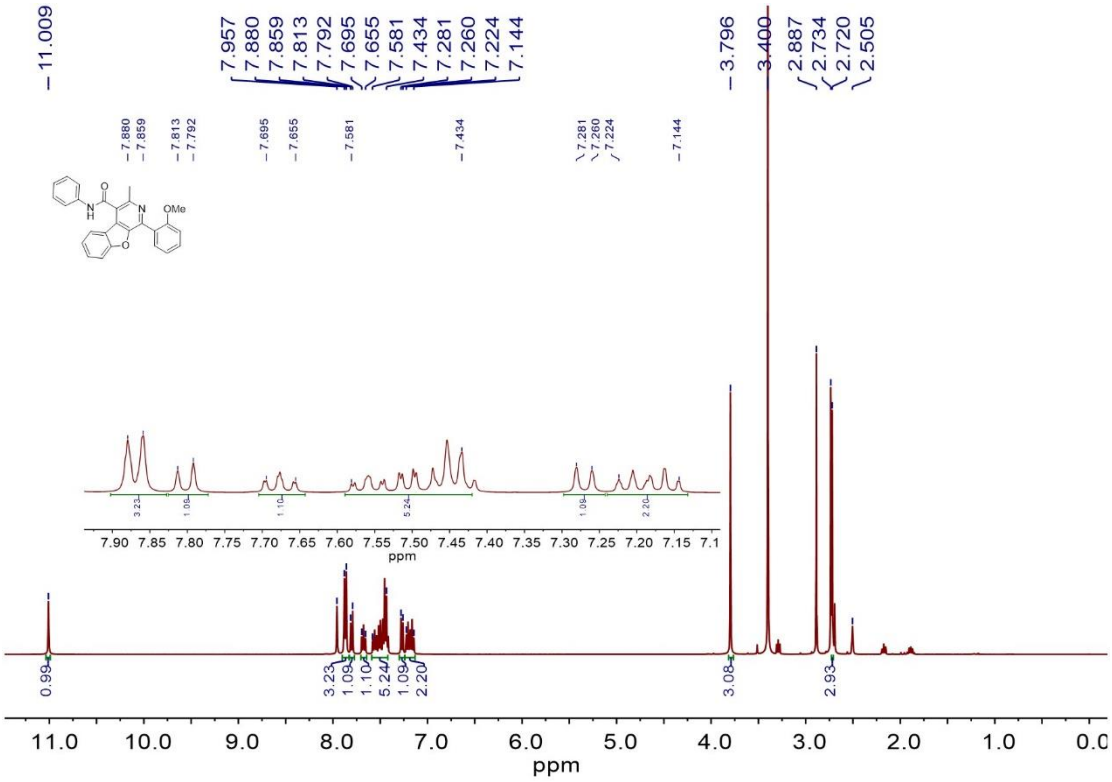


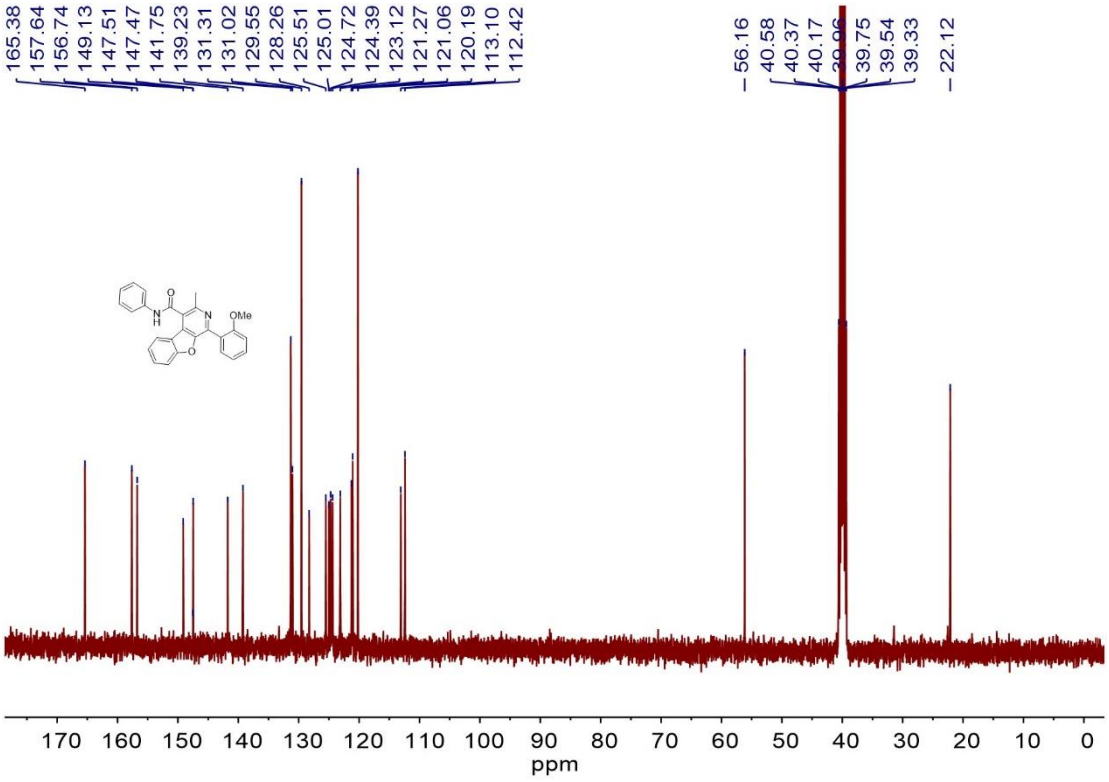
1-(2-Chlorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3i)



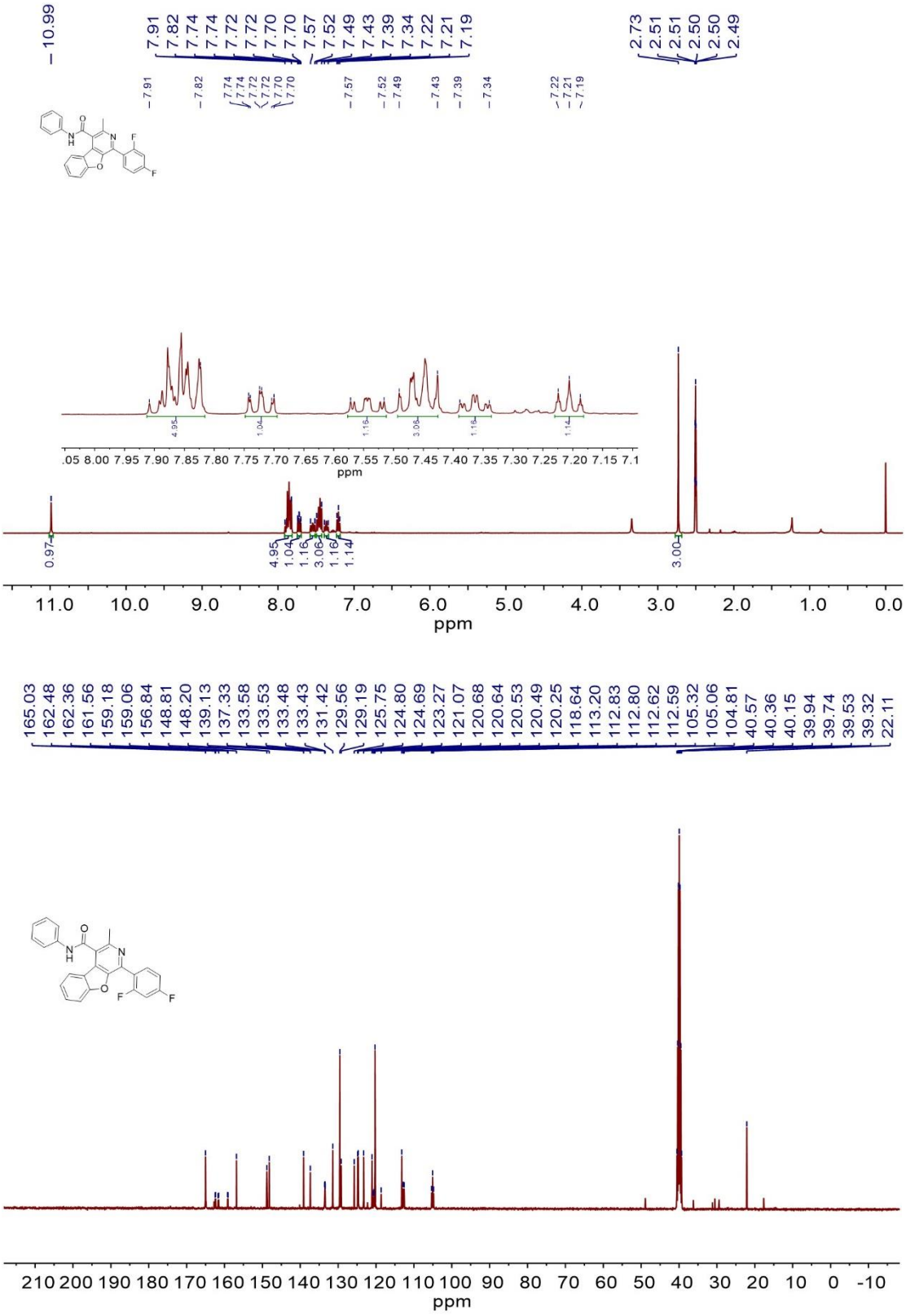


1-(2-Methoxyphenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3j)

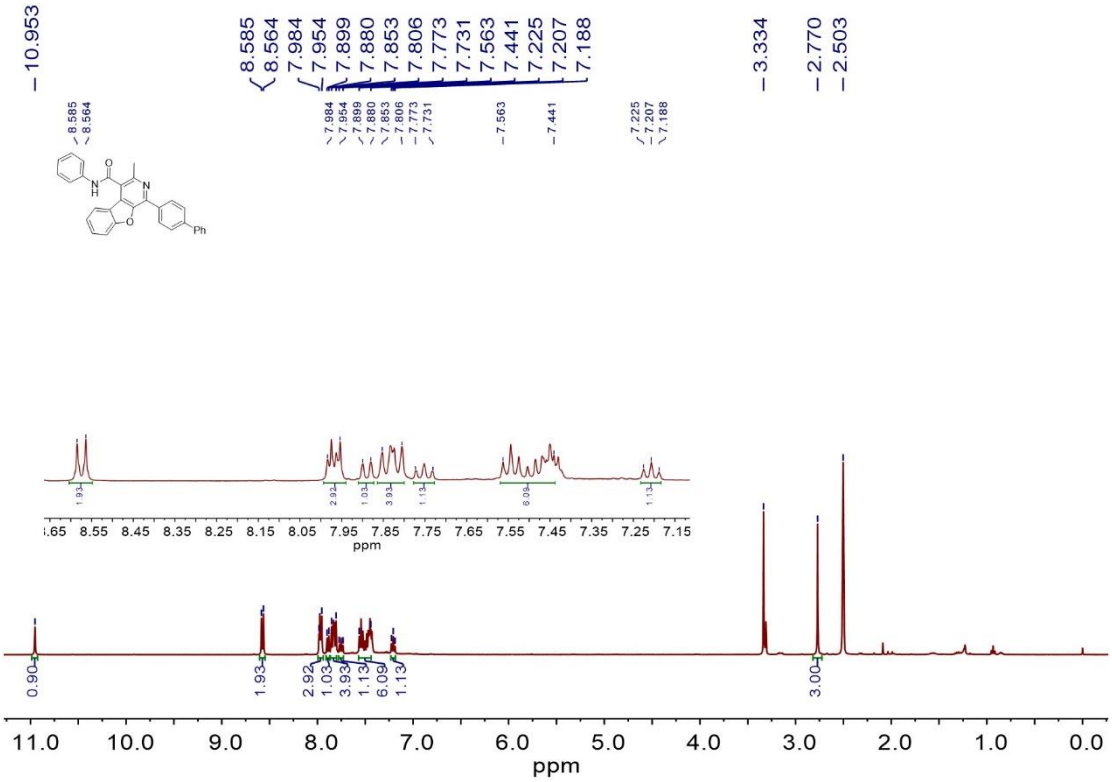


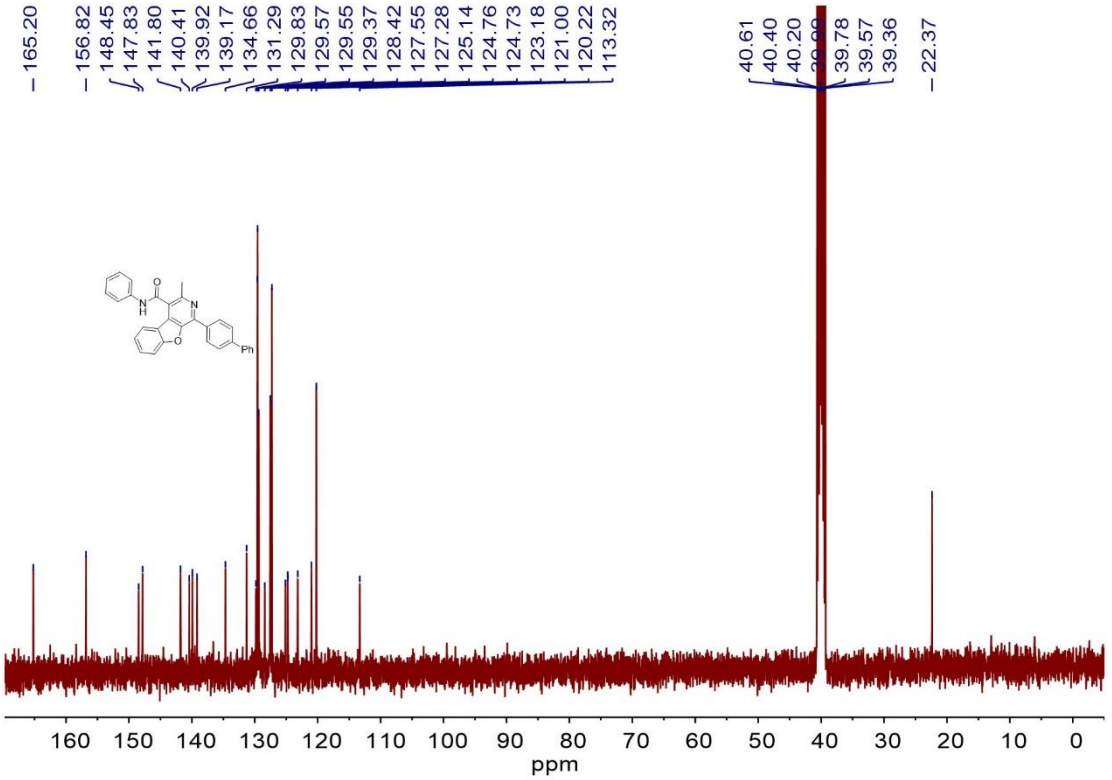


1-(2,4-Difluorophenyl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3k)

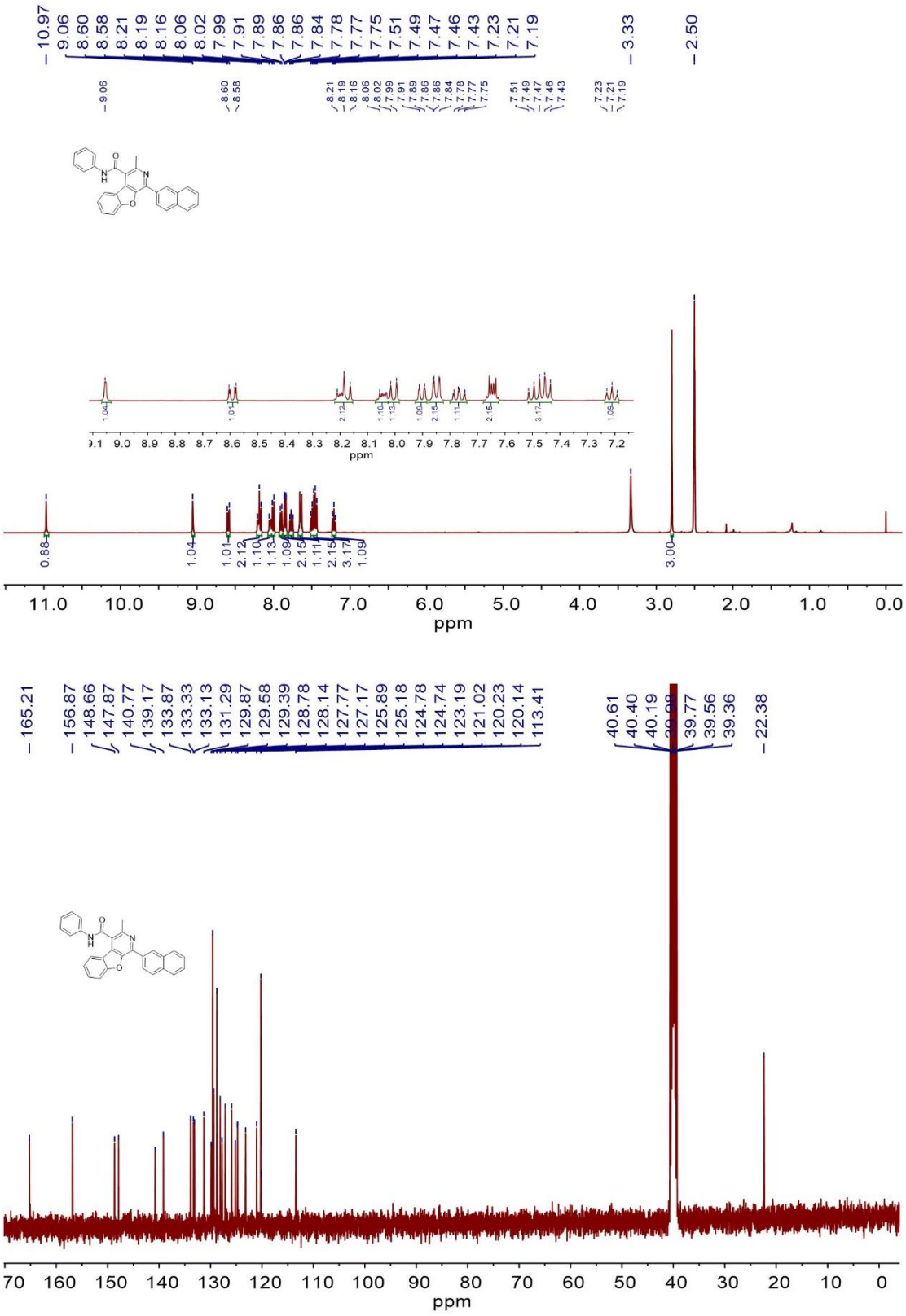


1-([1,1'-Biphenyl]-4-yl)-3-methyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3l)

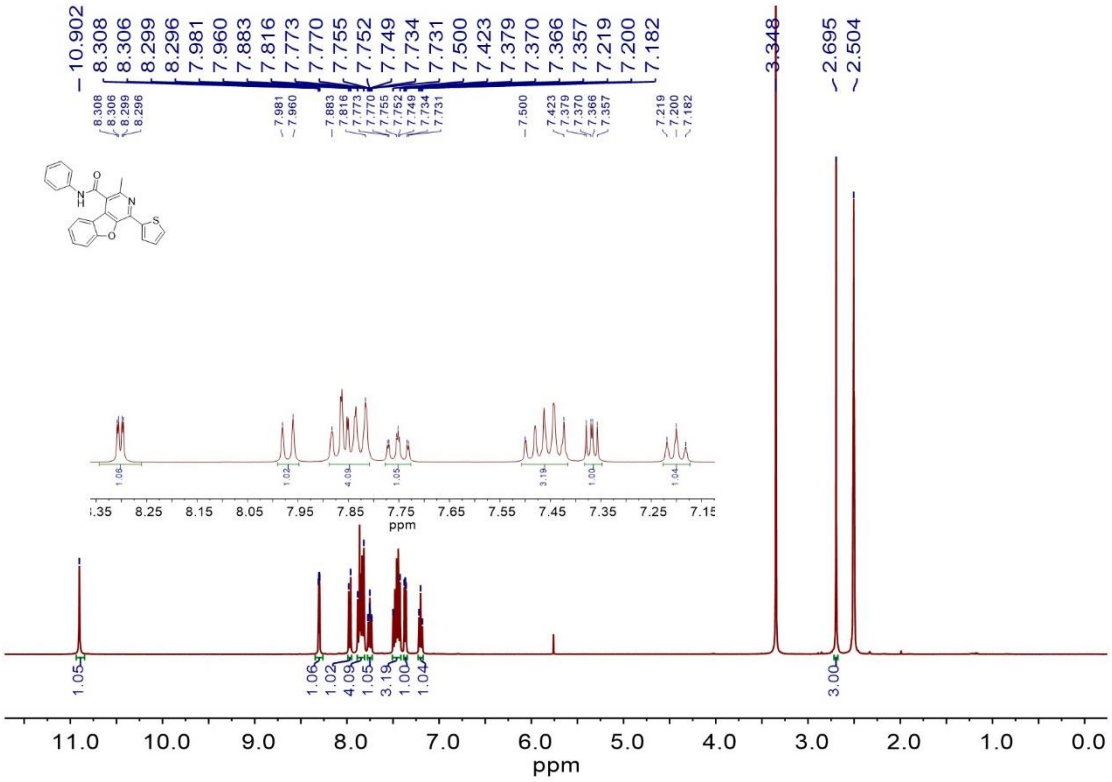


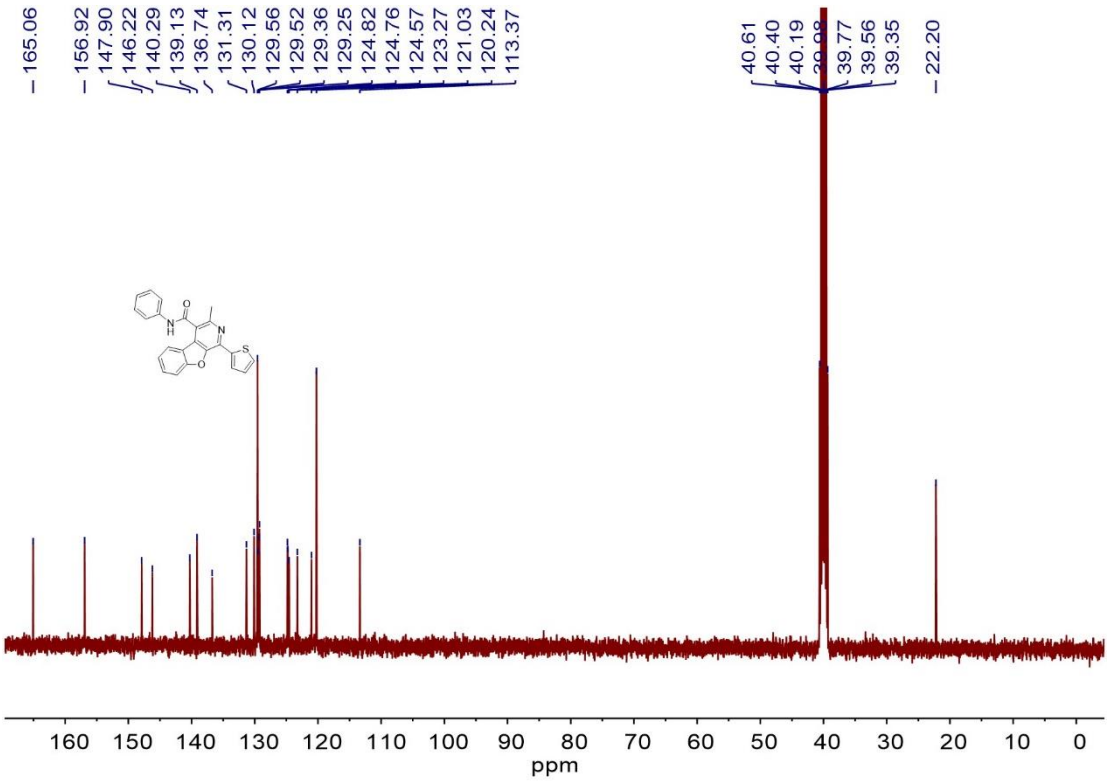


3-Methyl-1-(naphthalen-2-yl)-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3m)

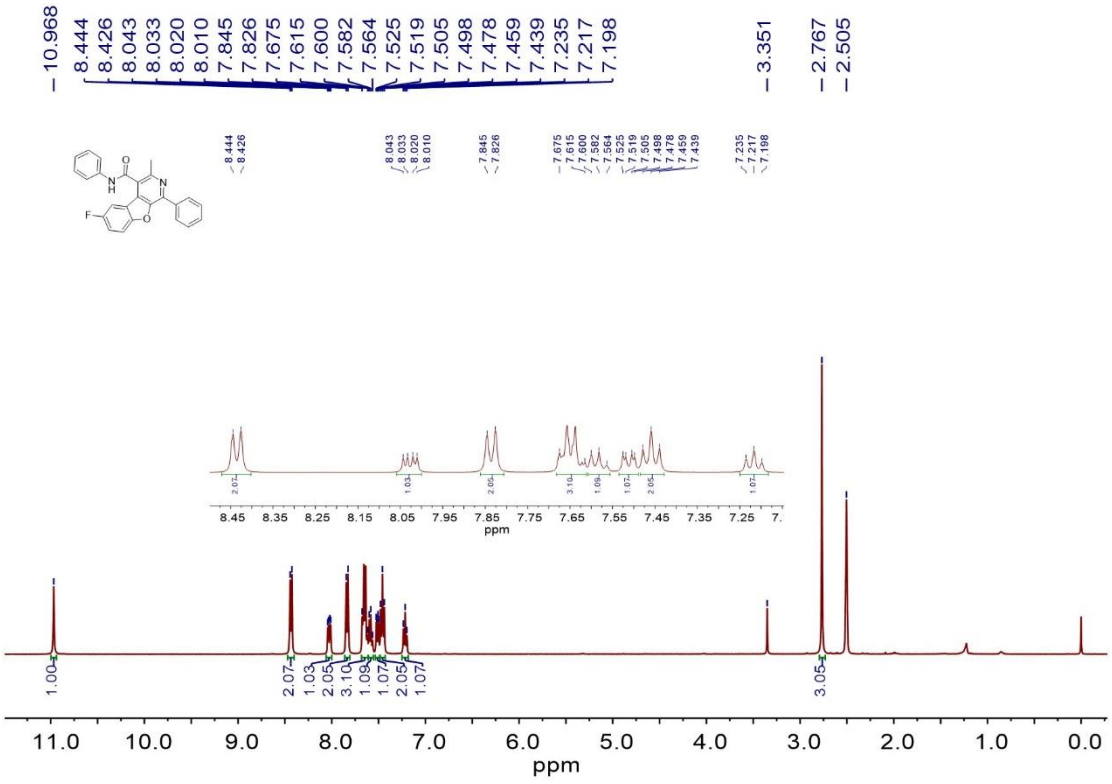


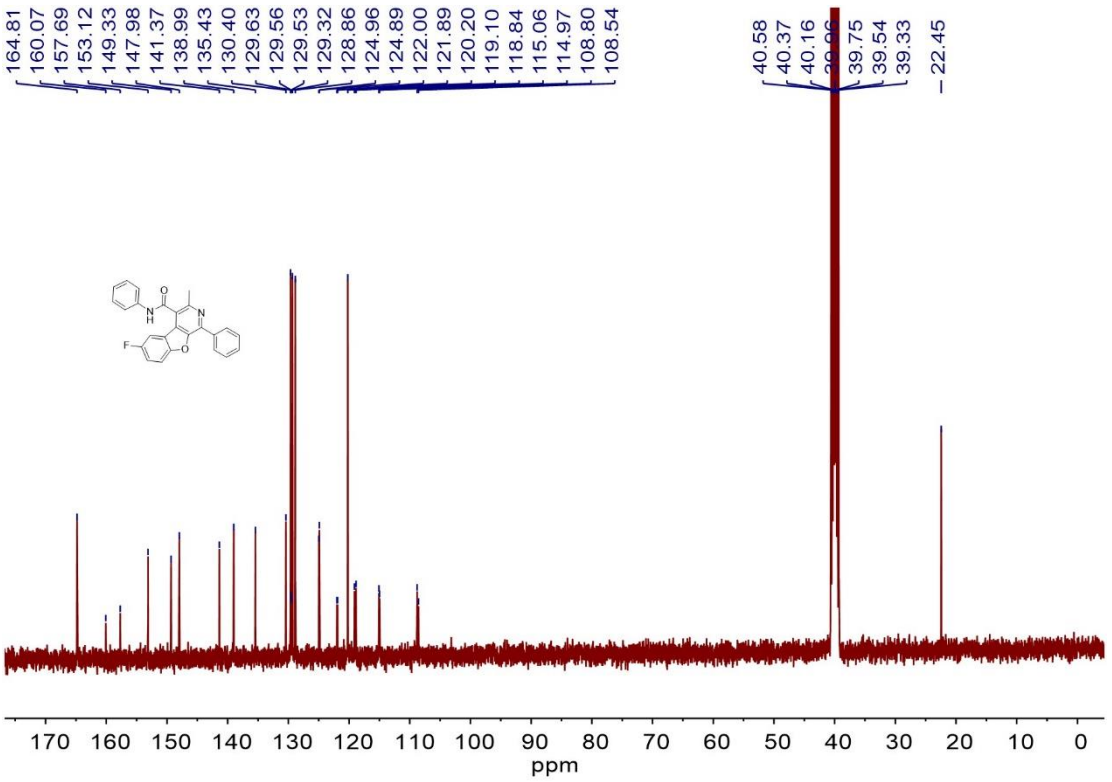
3-Methyl-N-phenyl-1-(thiophen-2-yl)benzofuro[2,3-*c*]pyridine-4-carboxamide (3n)



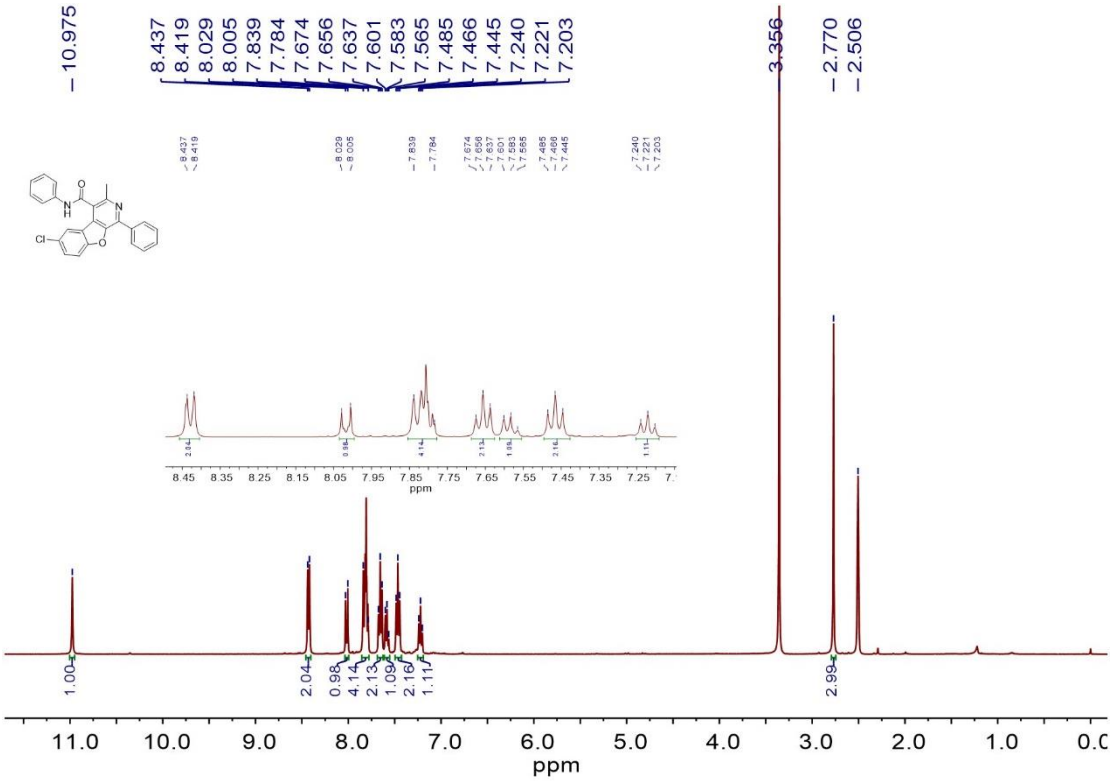


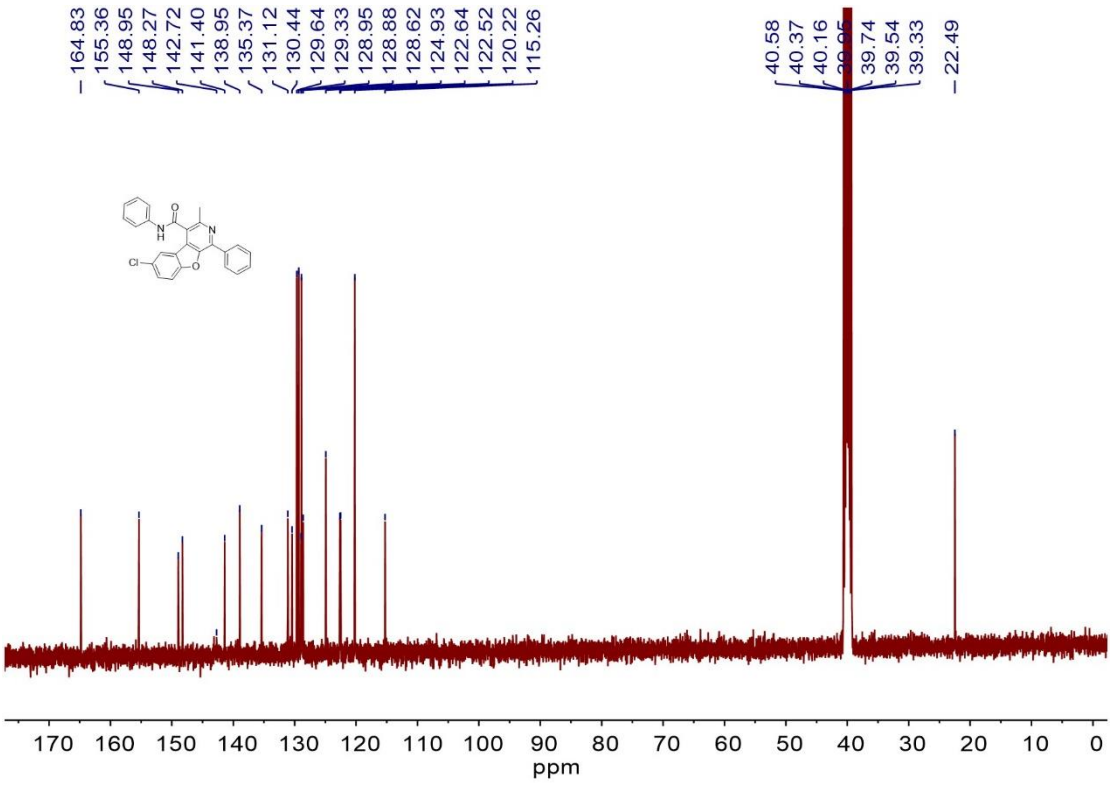
6-Fluoro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3p)



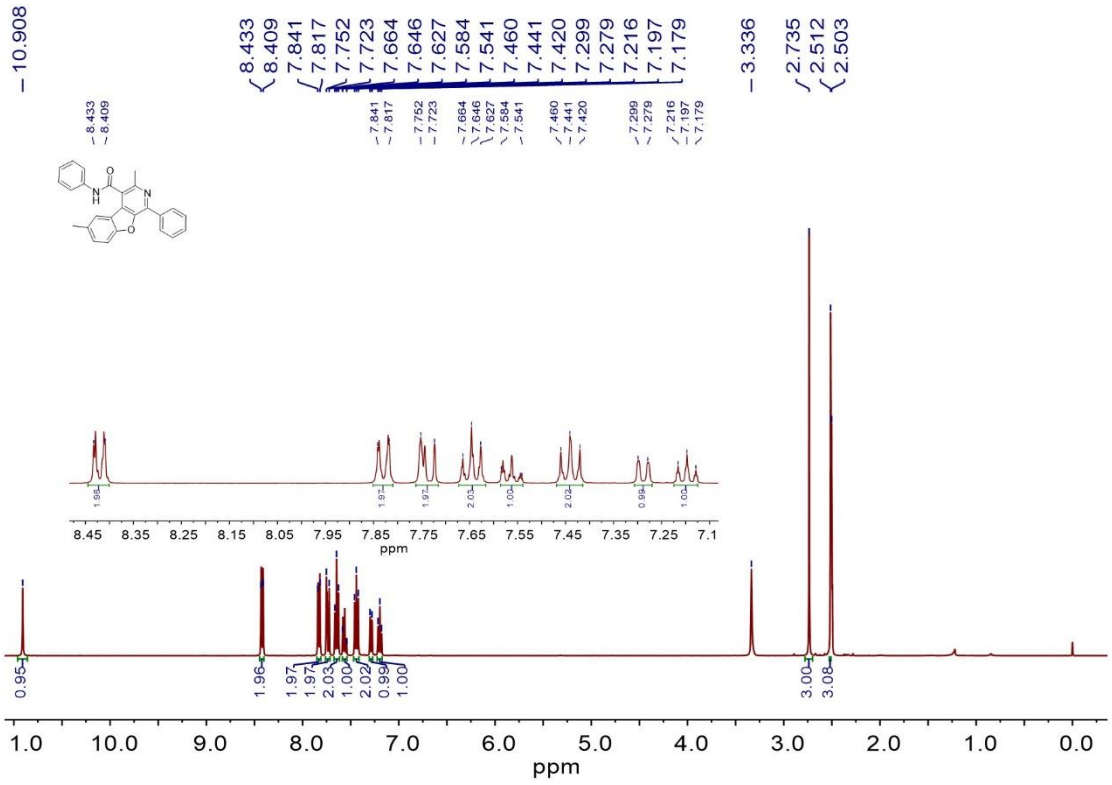


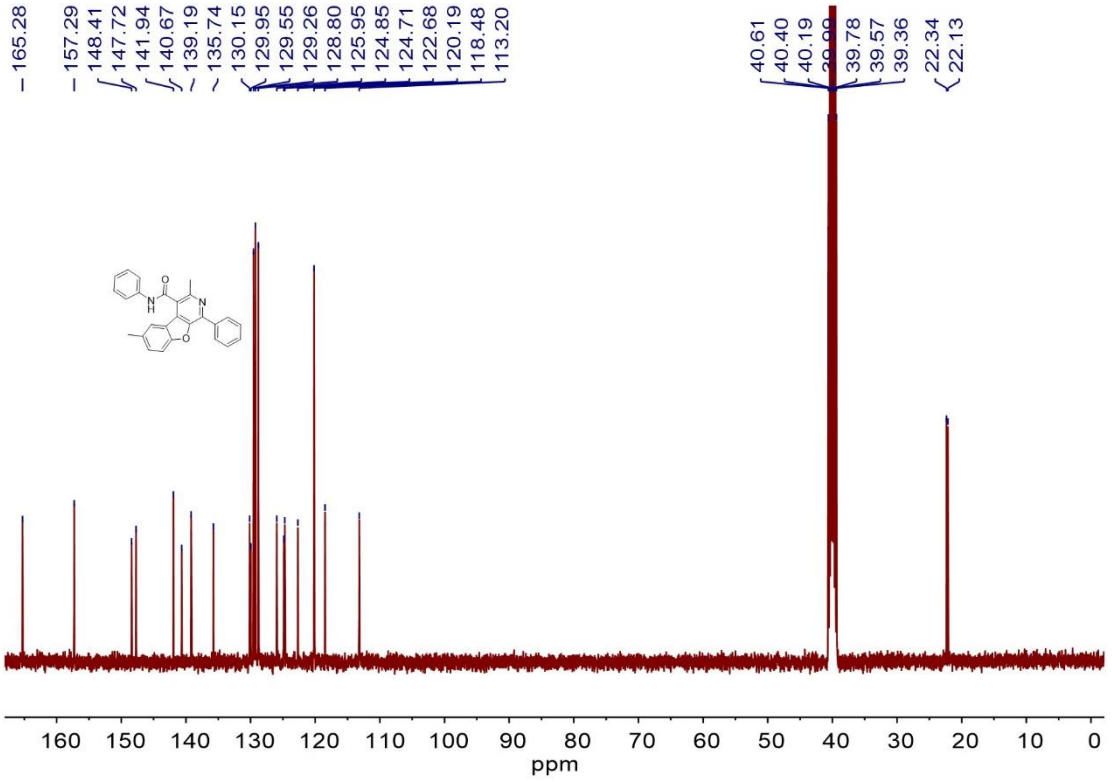
6-Chloro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3q)



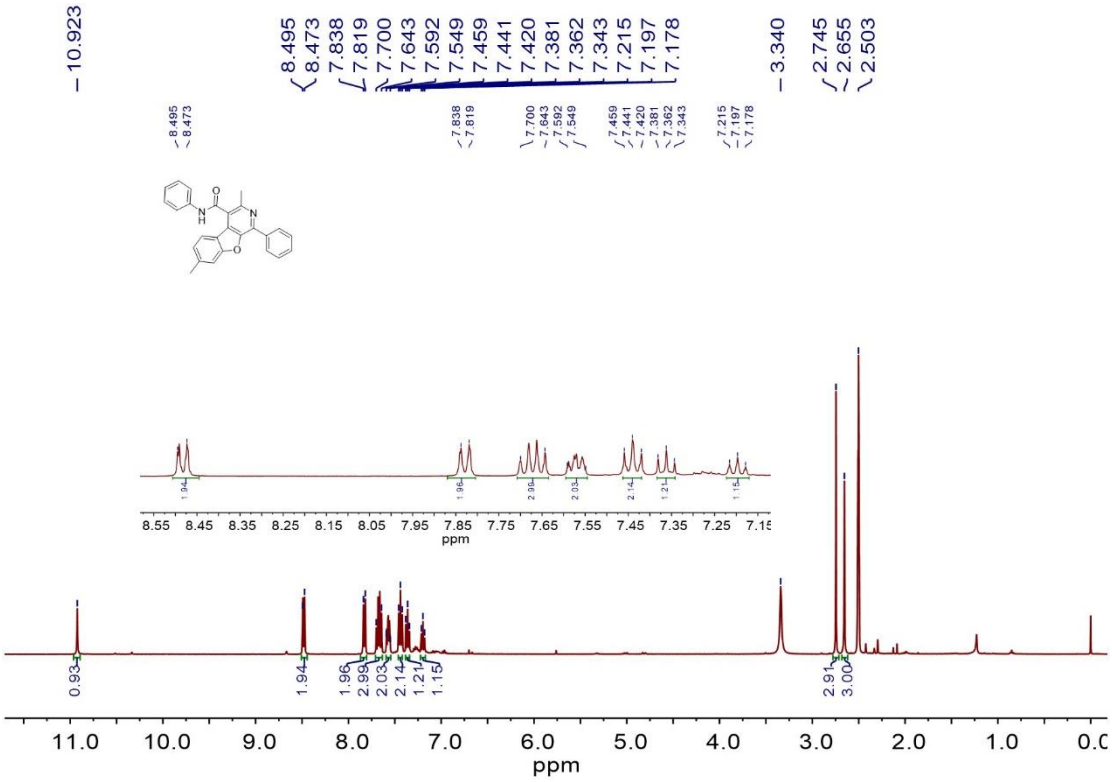


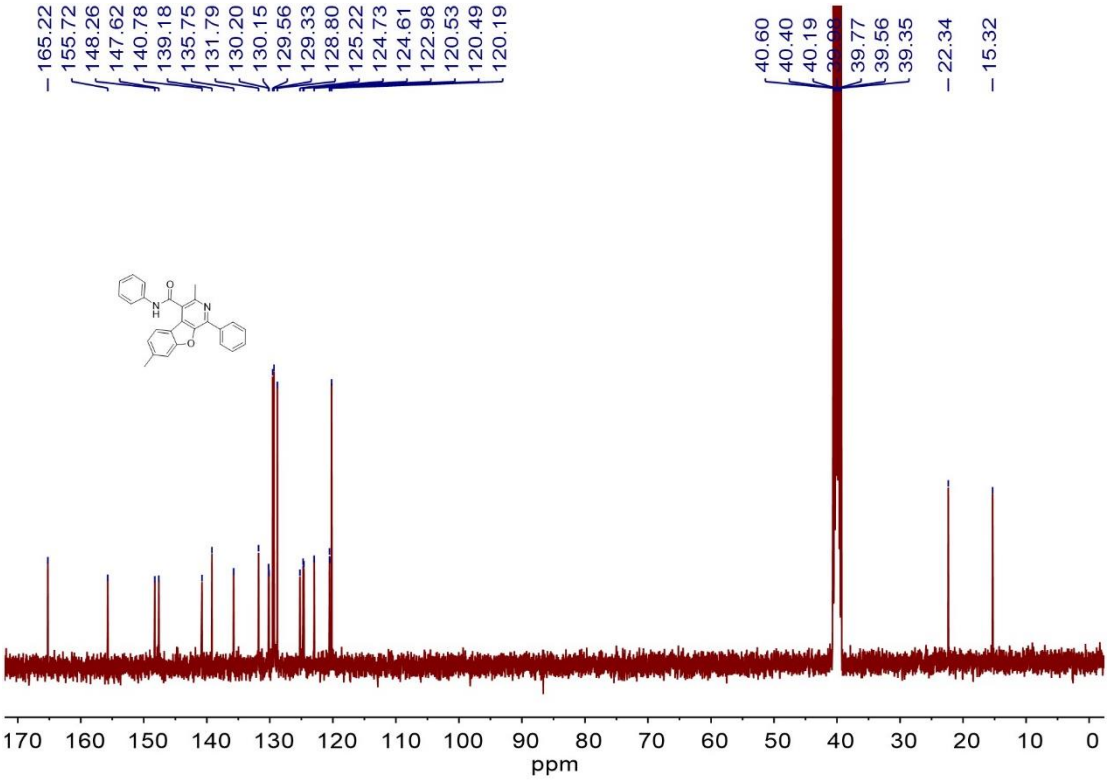
3,6-Dimethyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3r)



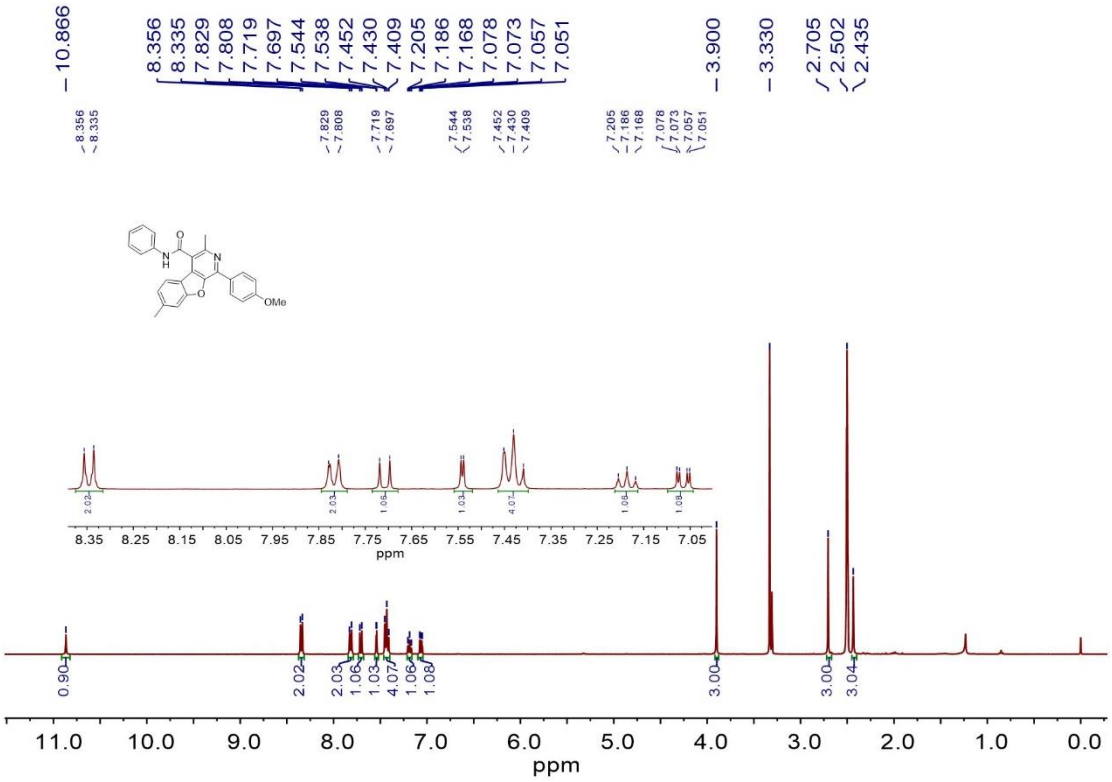


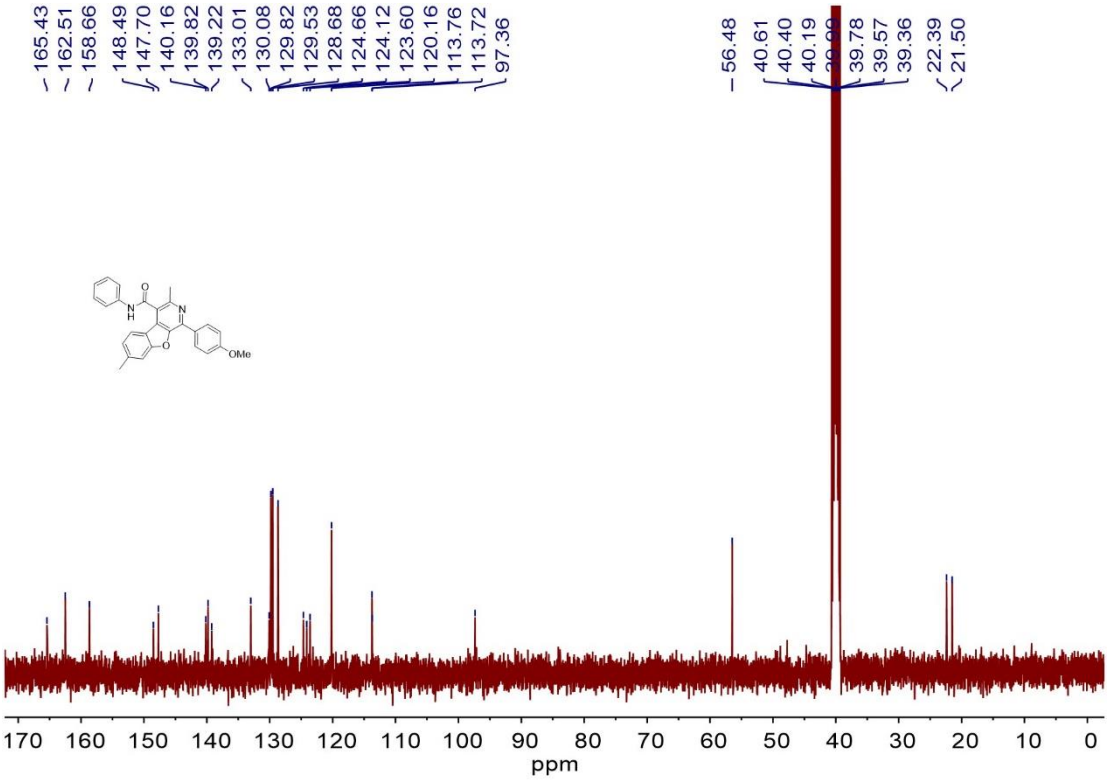
3,7-Dimethyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3s)



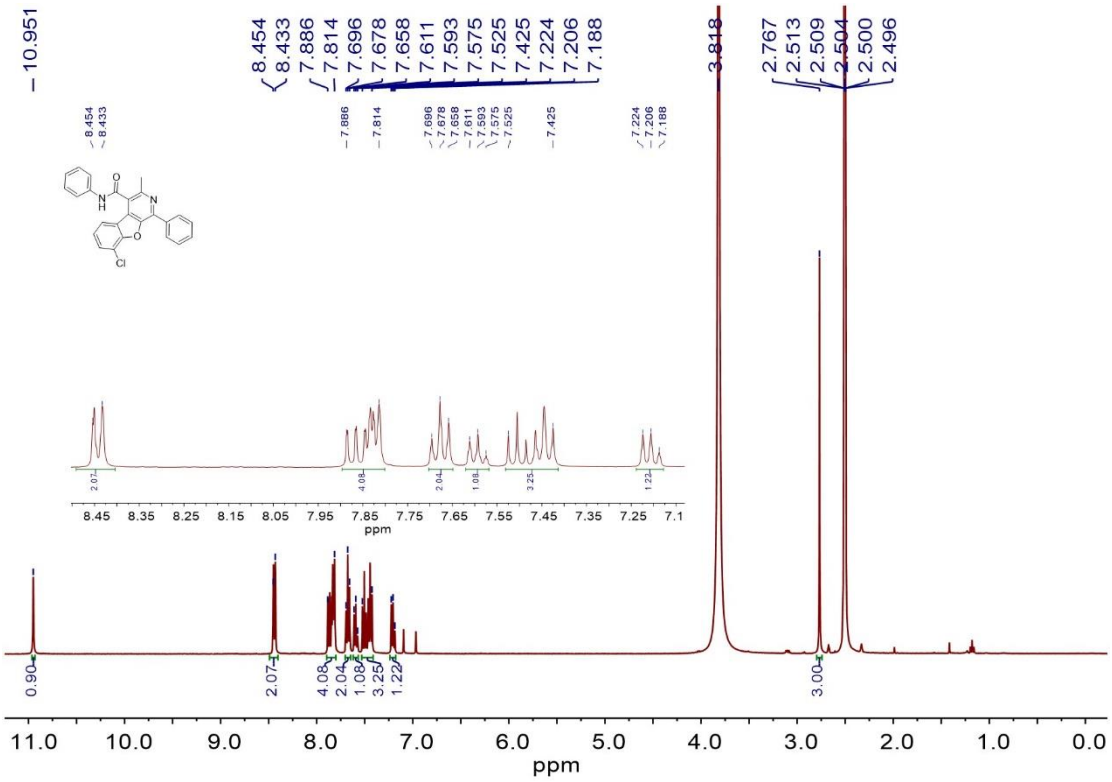


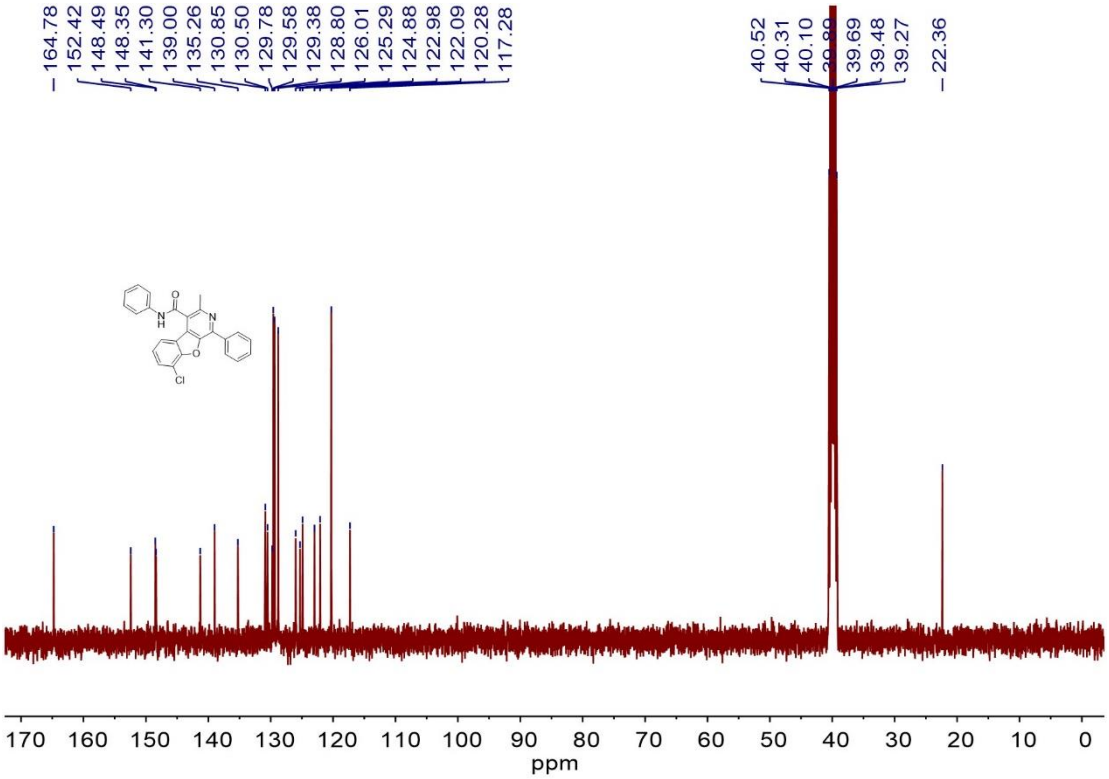
1-(4-Methoxyphenyl)-3,7-dimethyl-N-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3t)



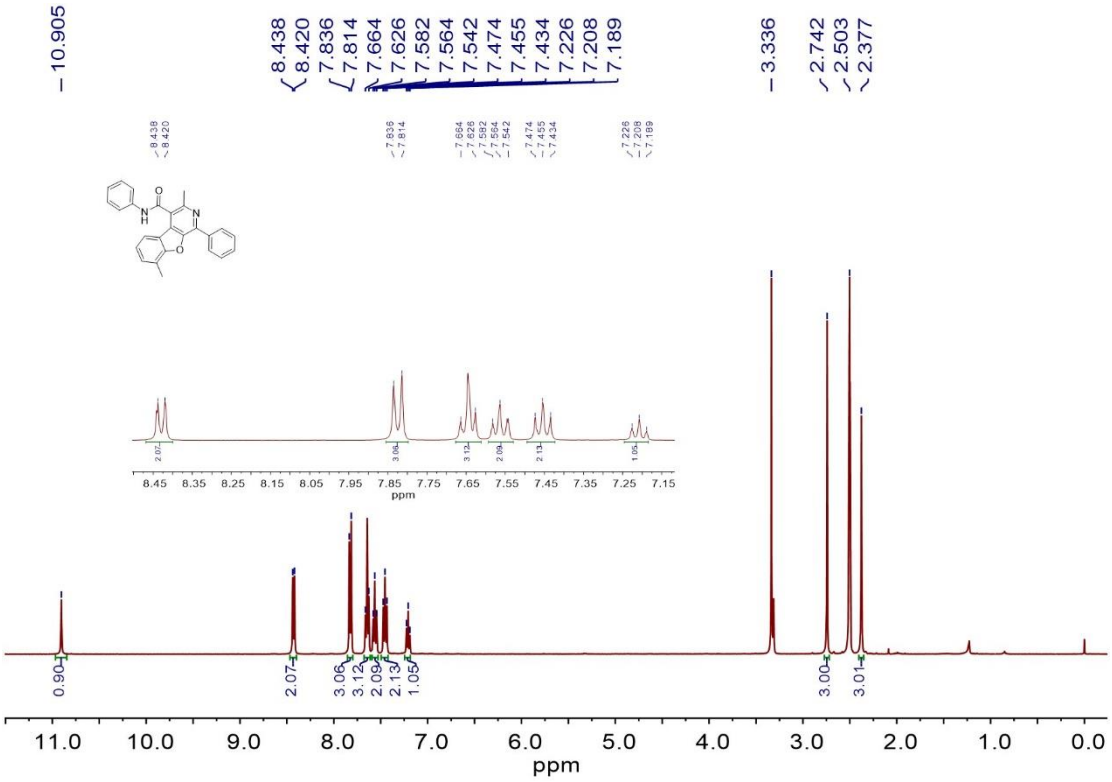


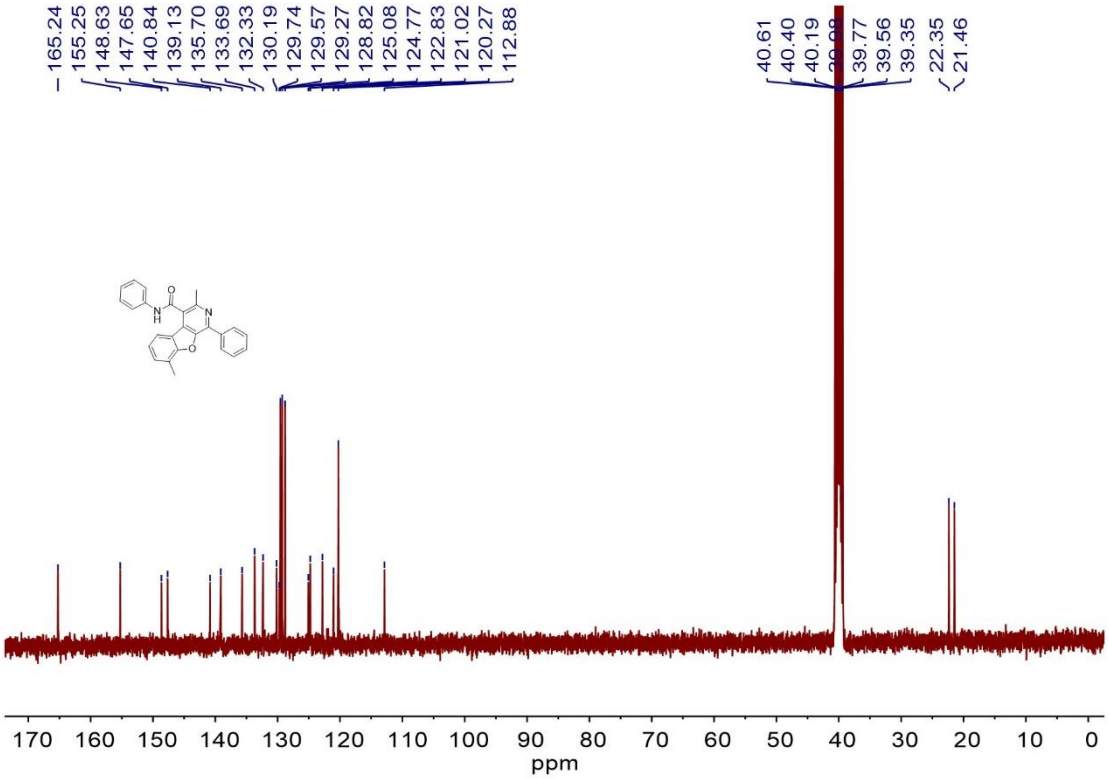
8-Chloro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3u)



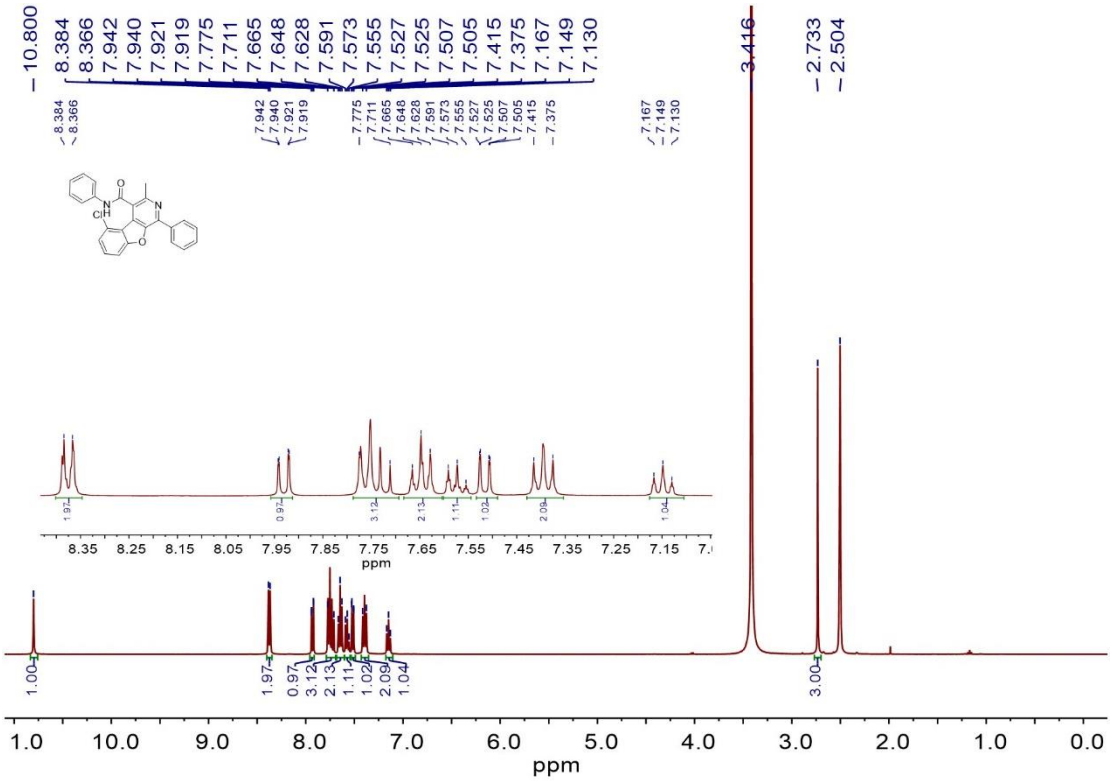


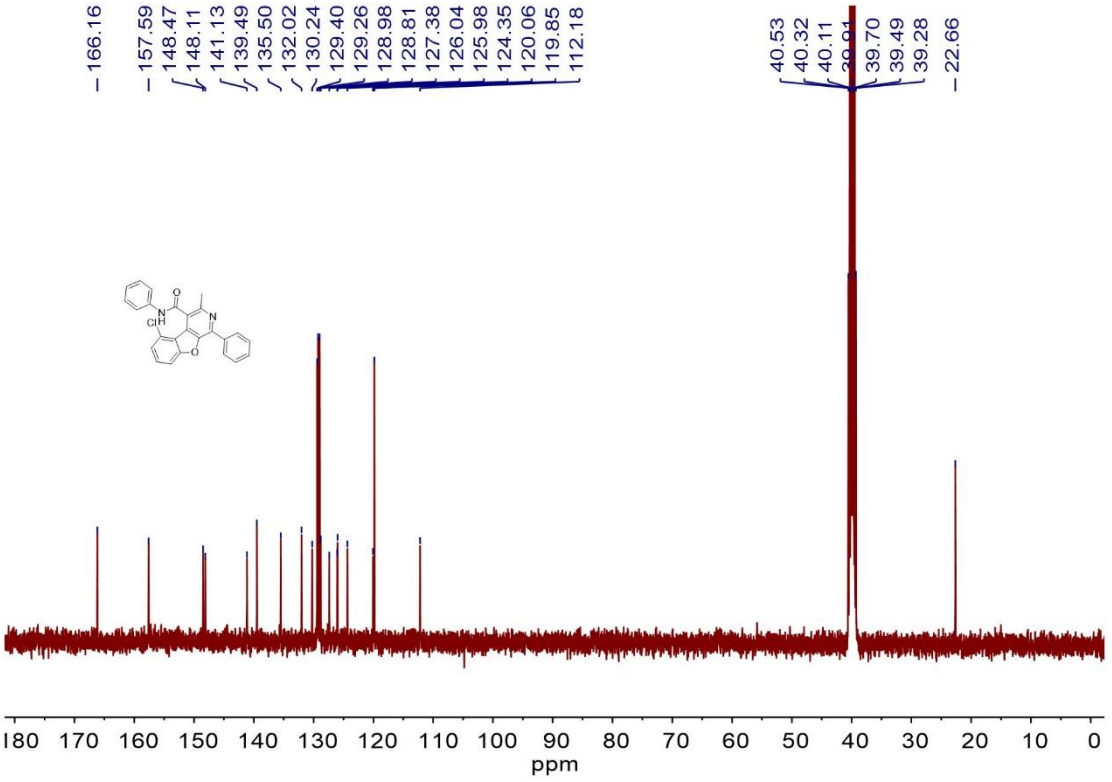
3,8-Dimethyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3v)



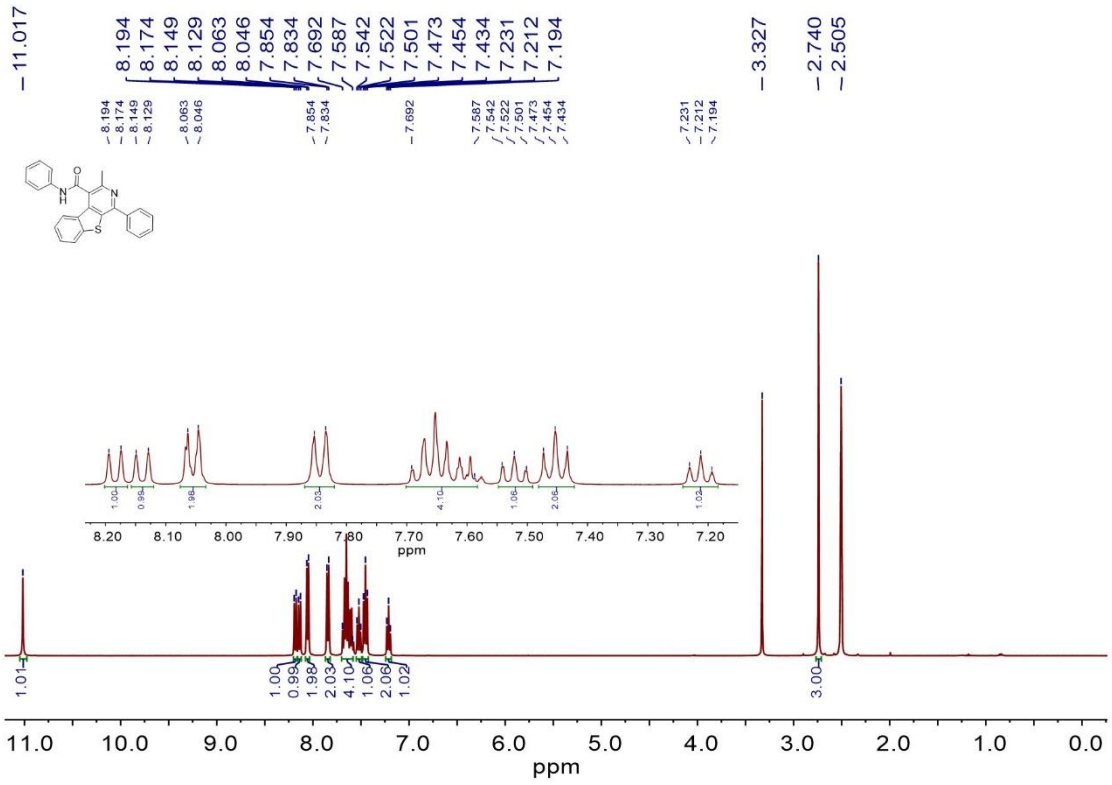


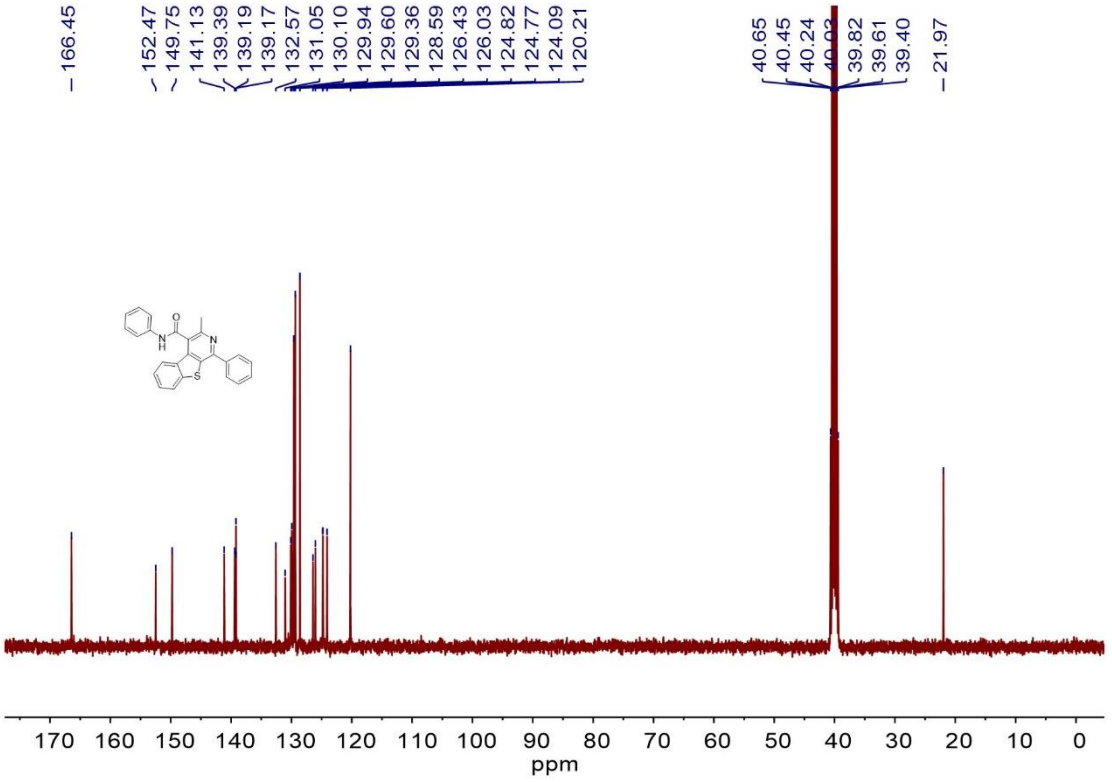
5-Chloro-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (3w)



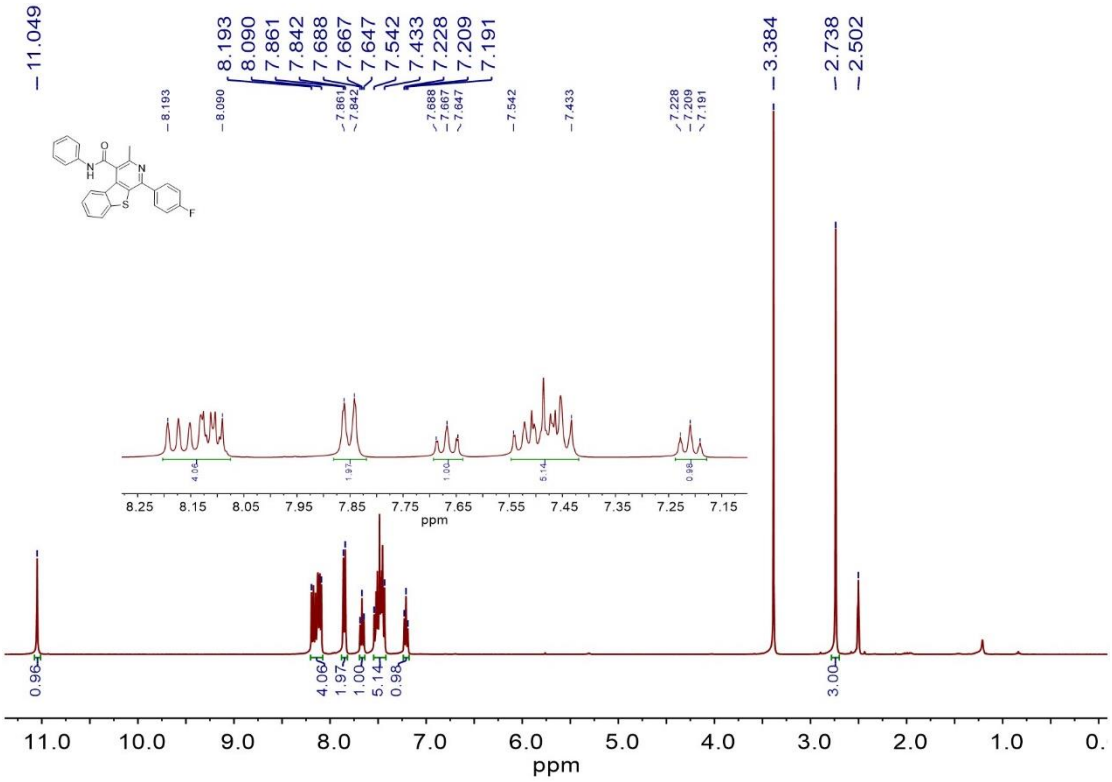


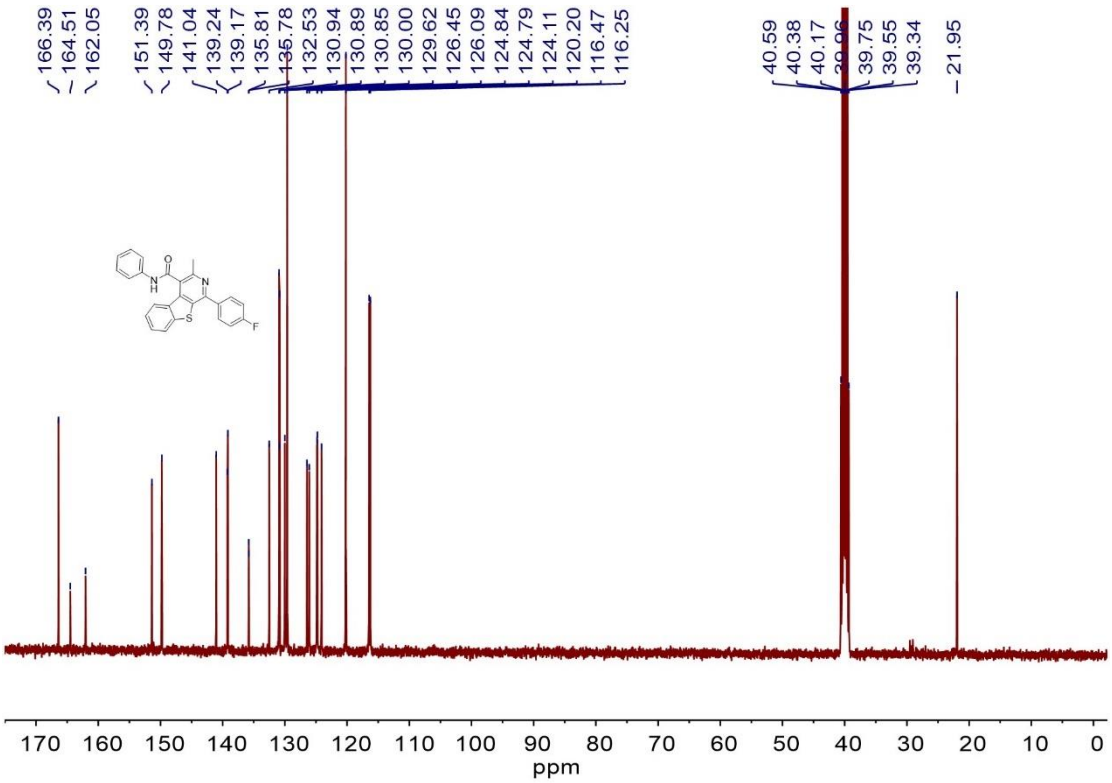
3-Methyl-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3aa)



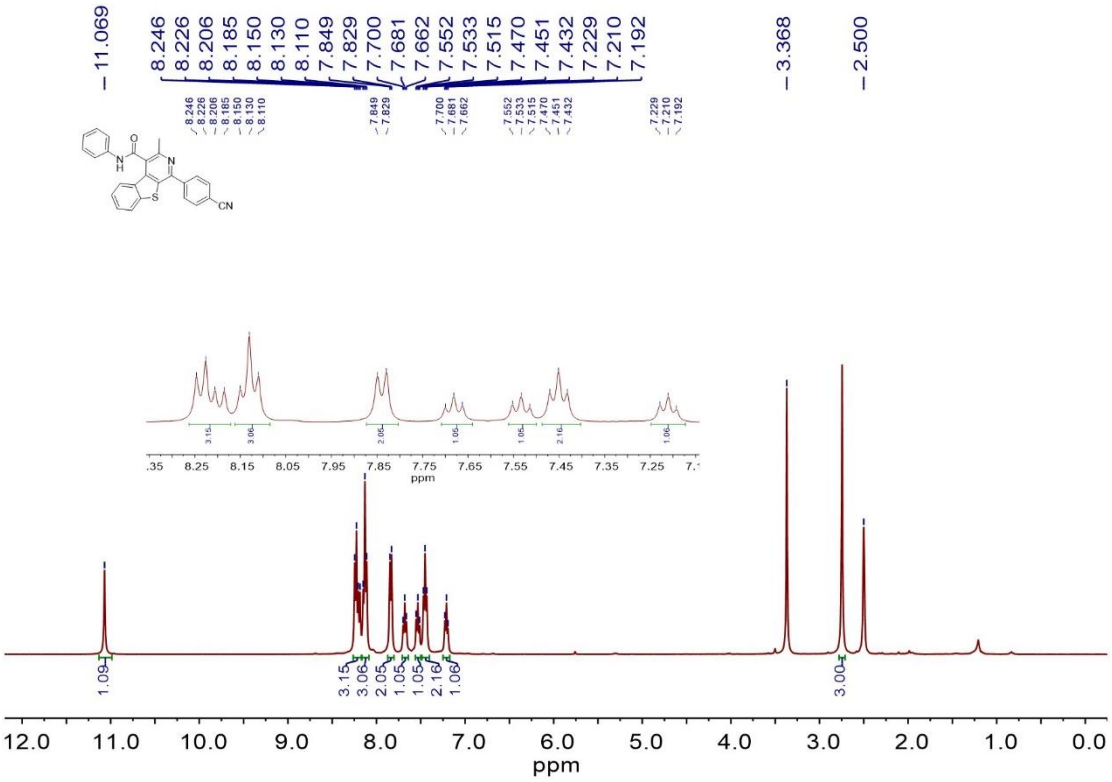


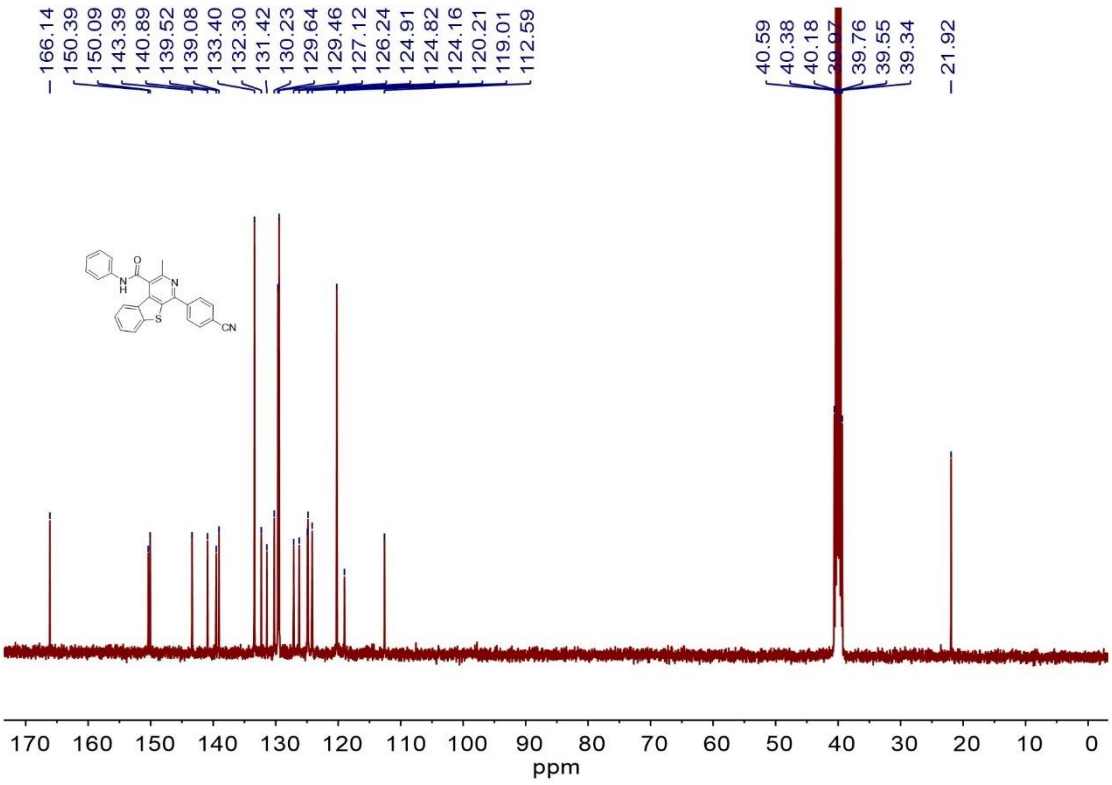
1-(4-Fluorophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ba)



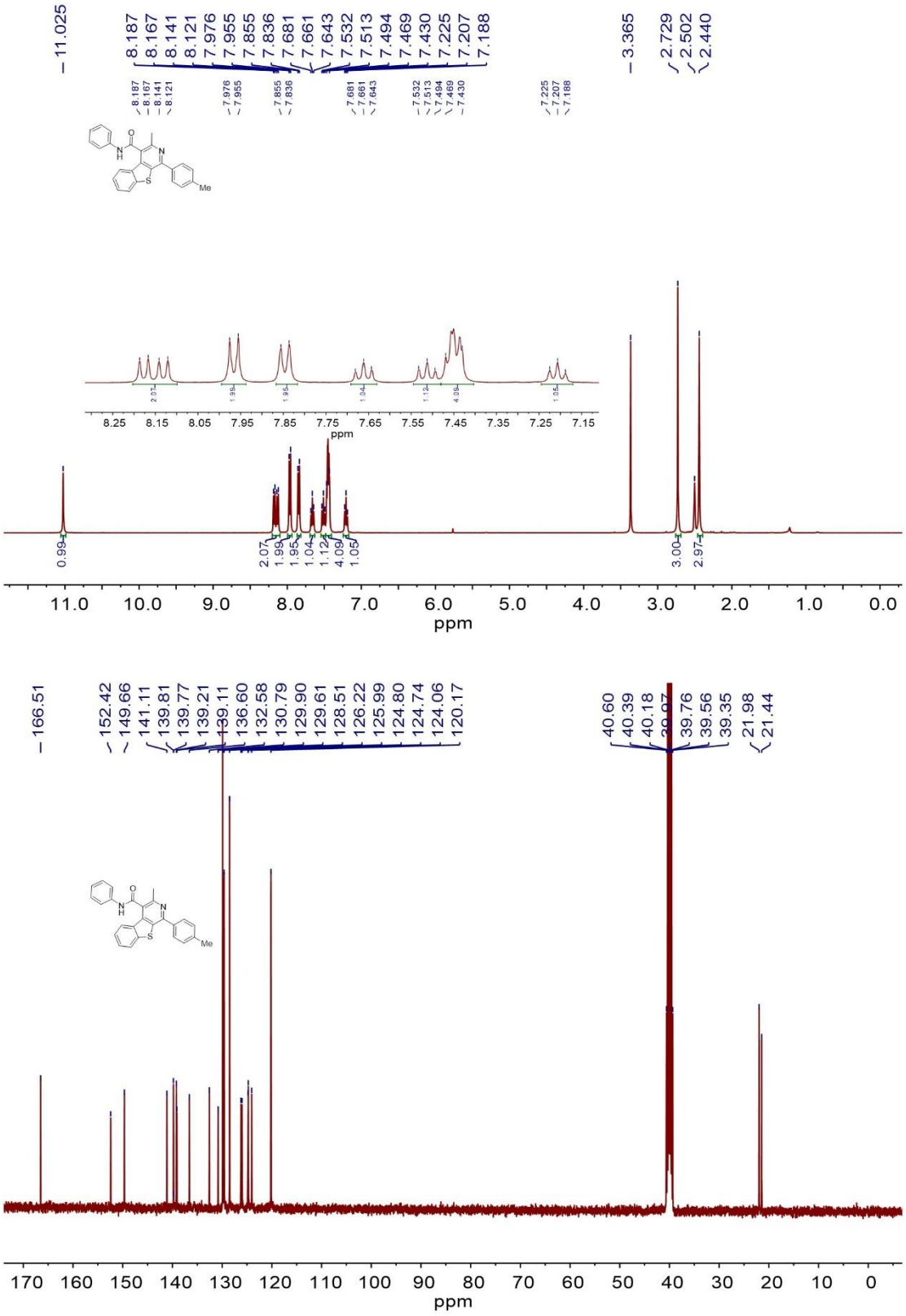


1-(4-Cyanophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ca)

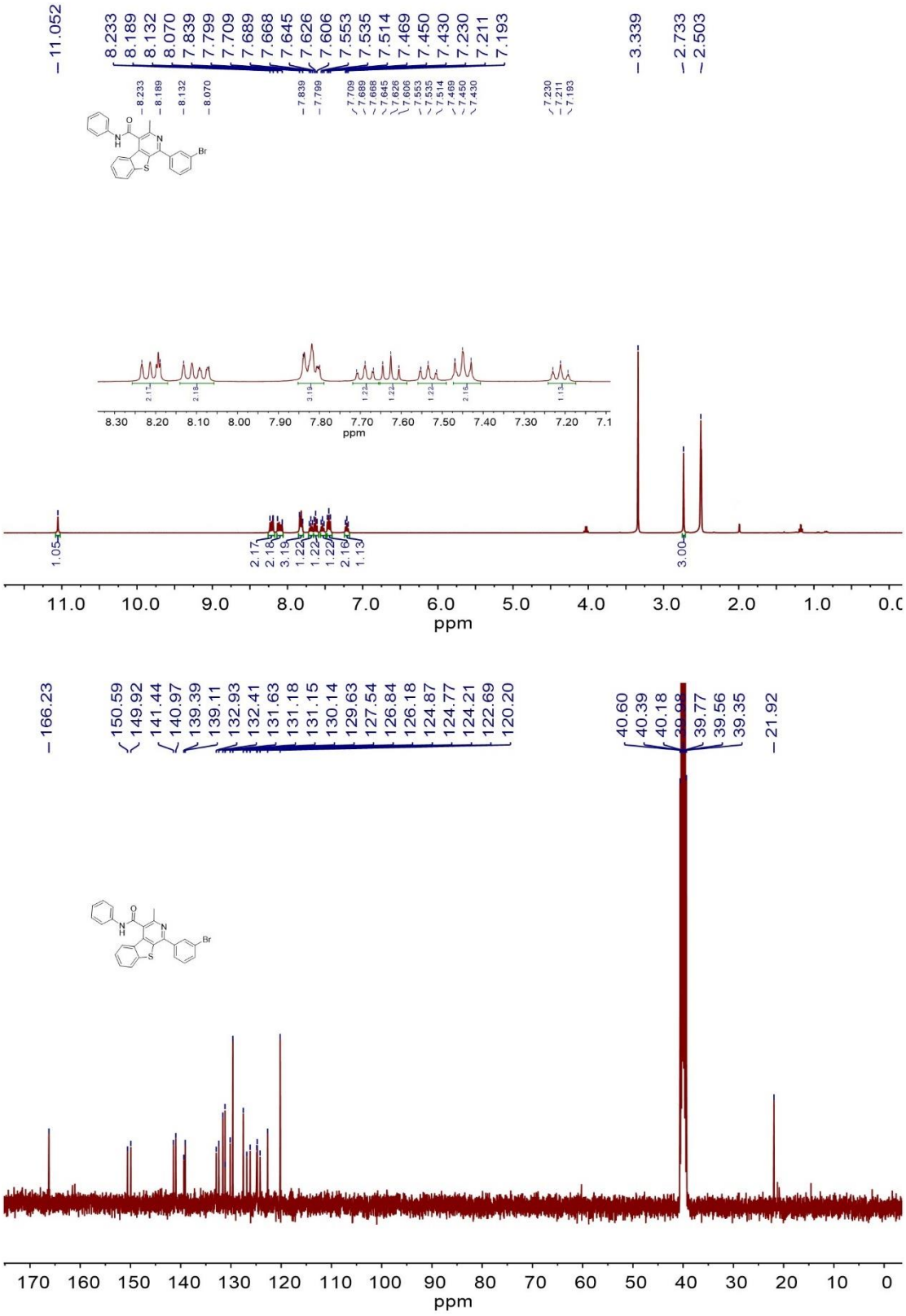




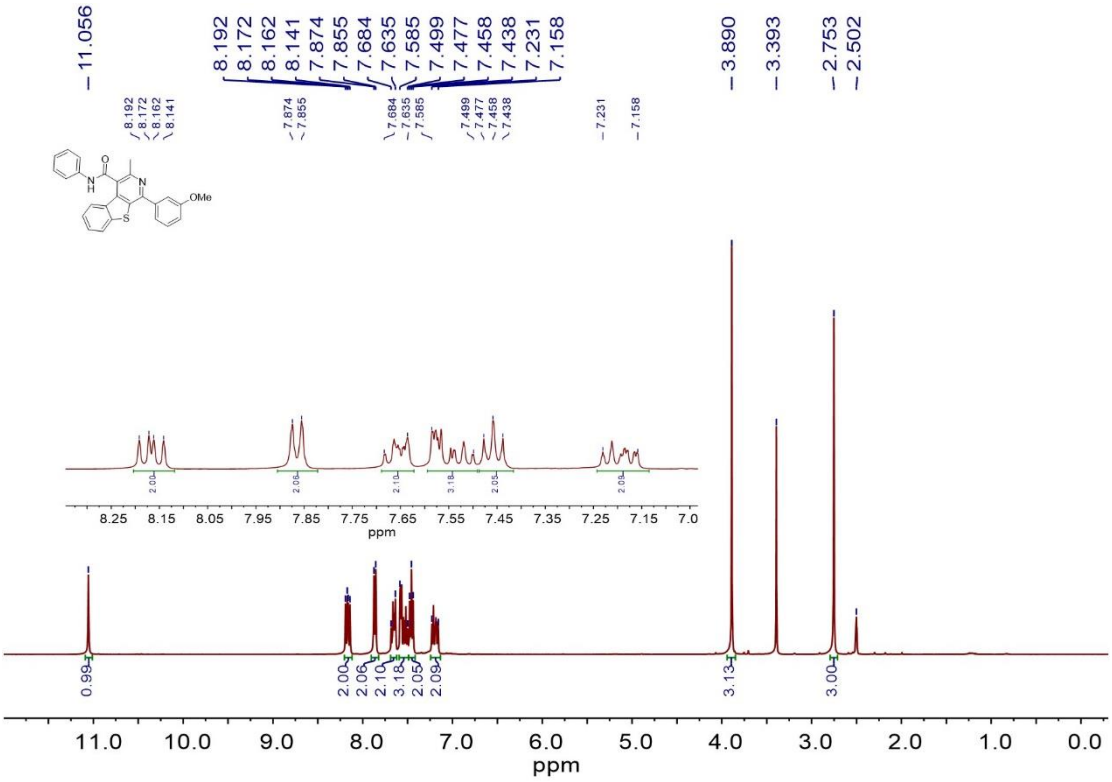
3-Methyl-N-phenyl-1-(p-tolyl)benzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3da)

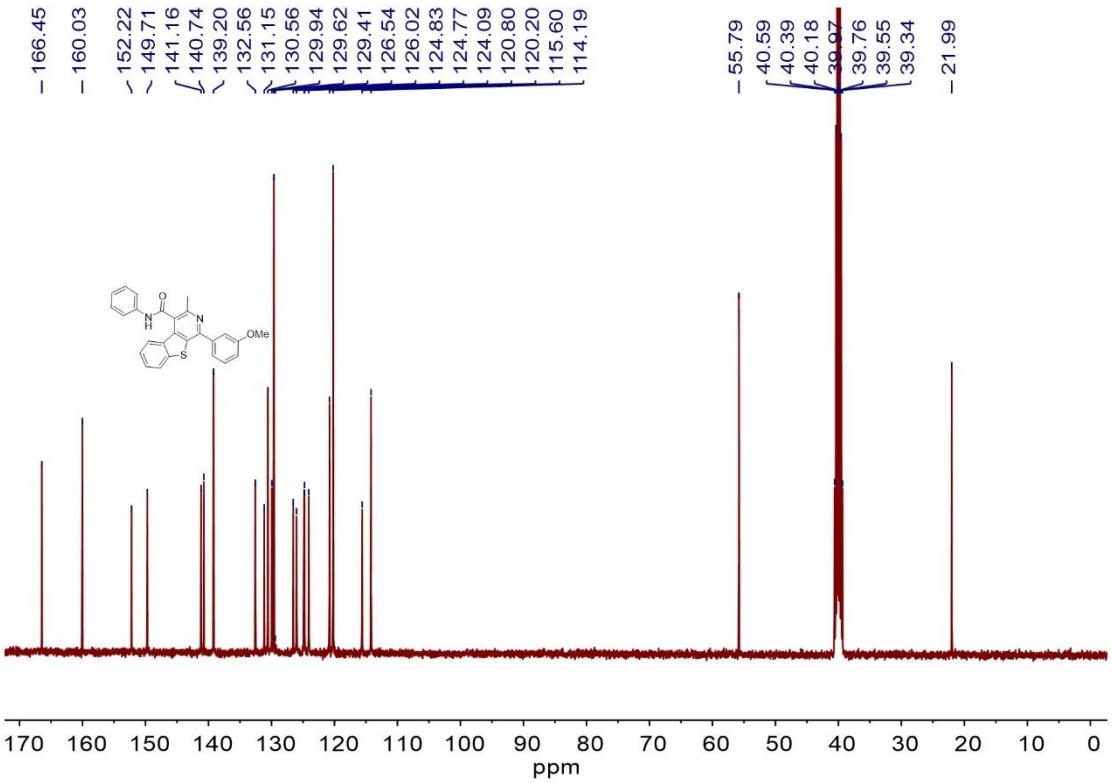


1-(3-Bromophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ea)

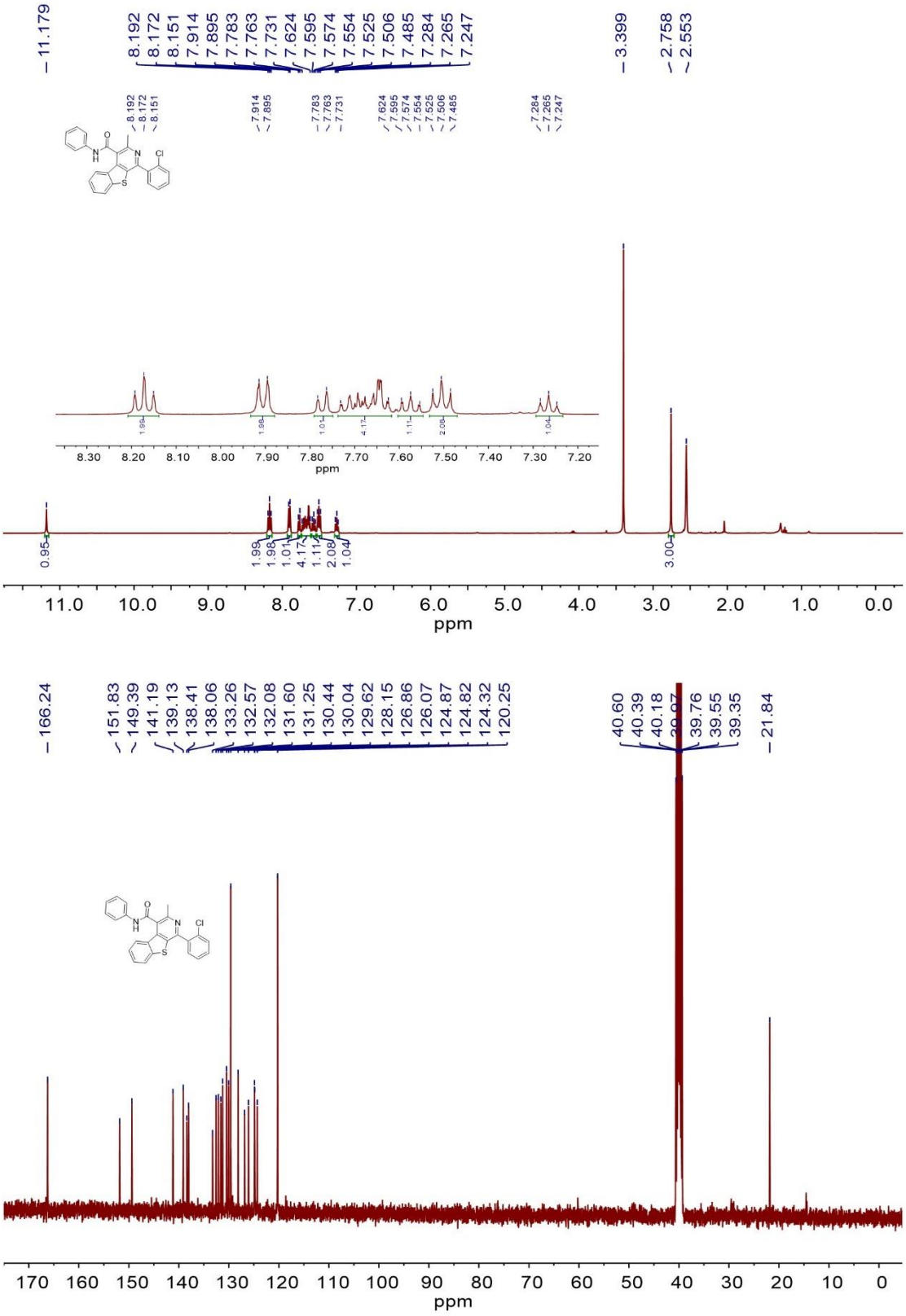


1-(3-Methoxyphenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3fa)

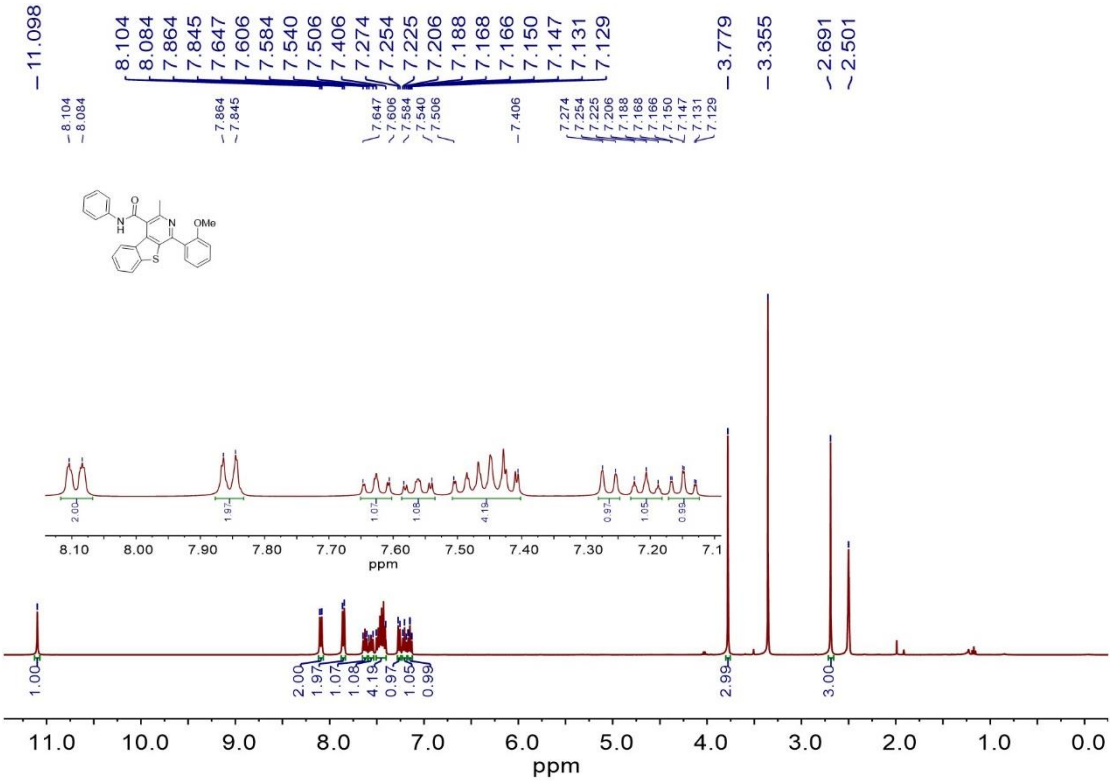


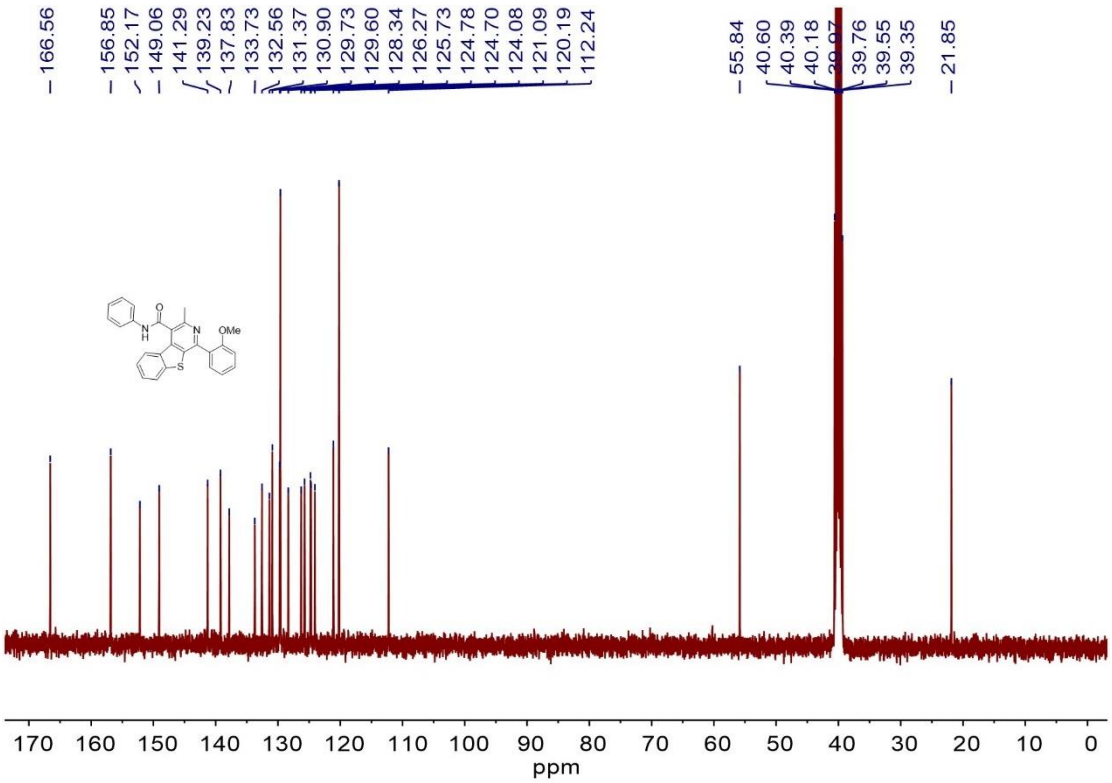


1-(2-Chlorophenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ga)

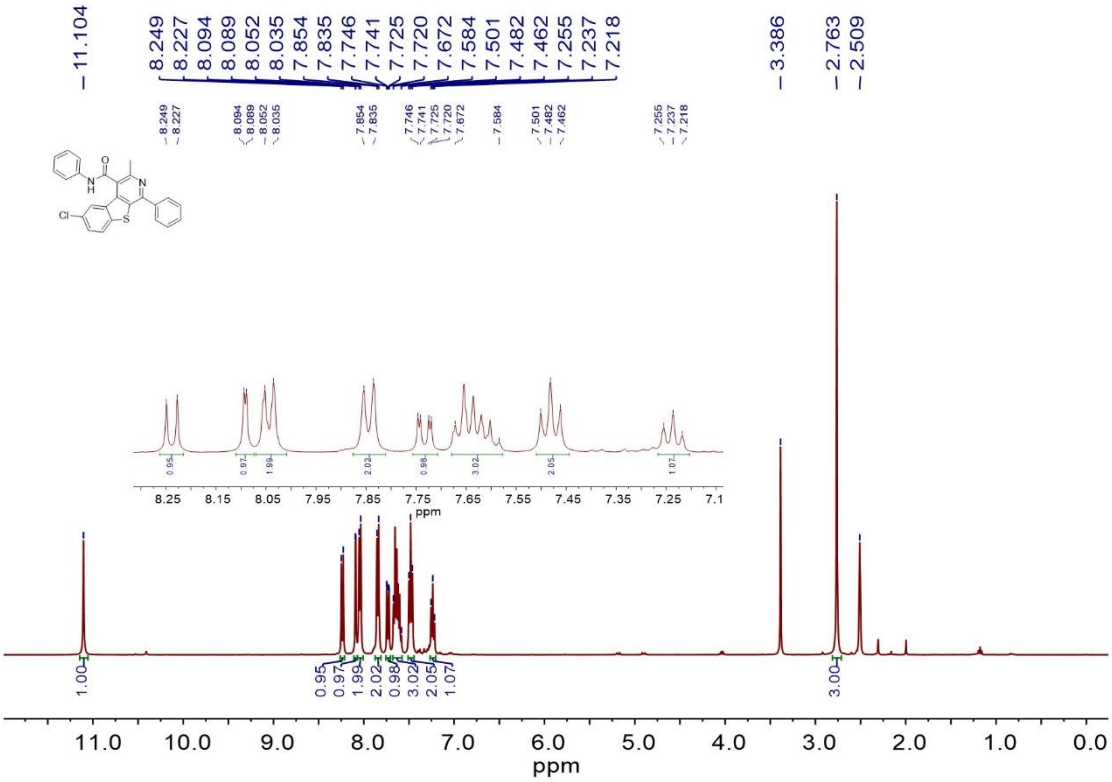


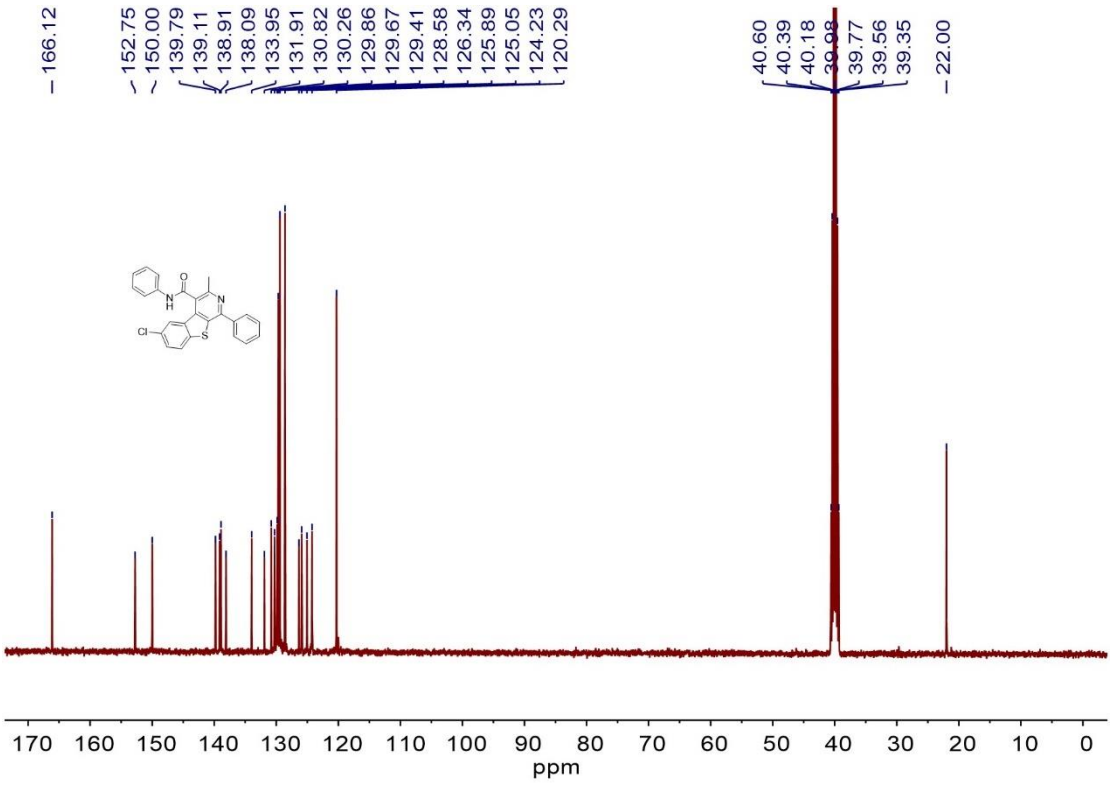
1-(2-methoxyphenyl)-3-methyl-N-phenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ha)



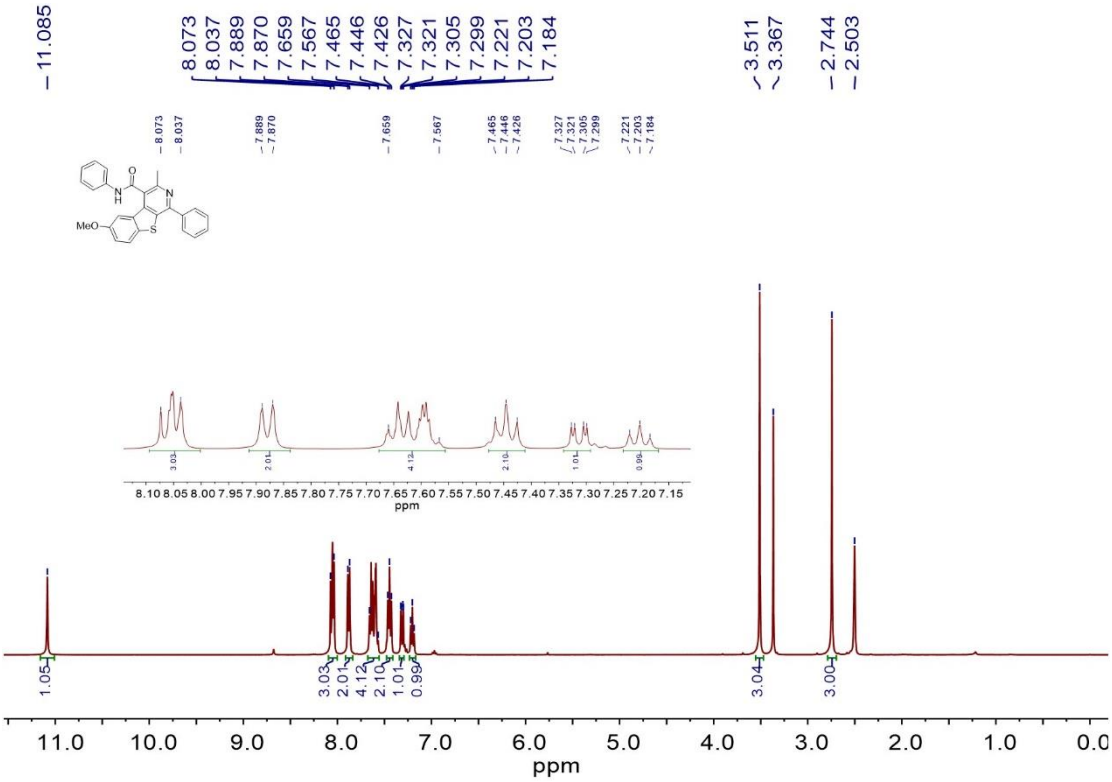


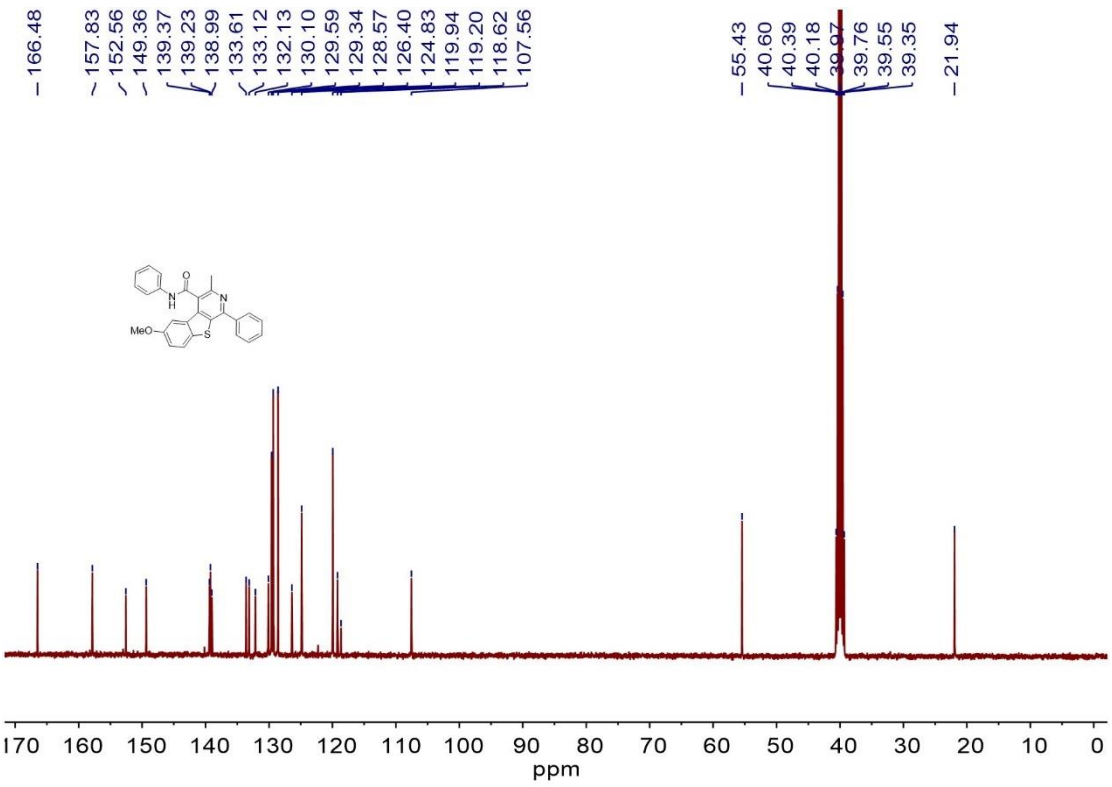
6-Chloro-3-methyl-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ia)



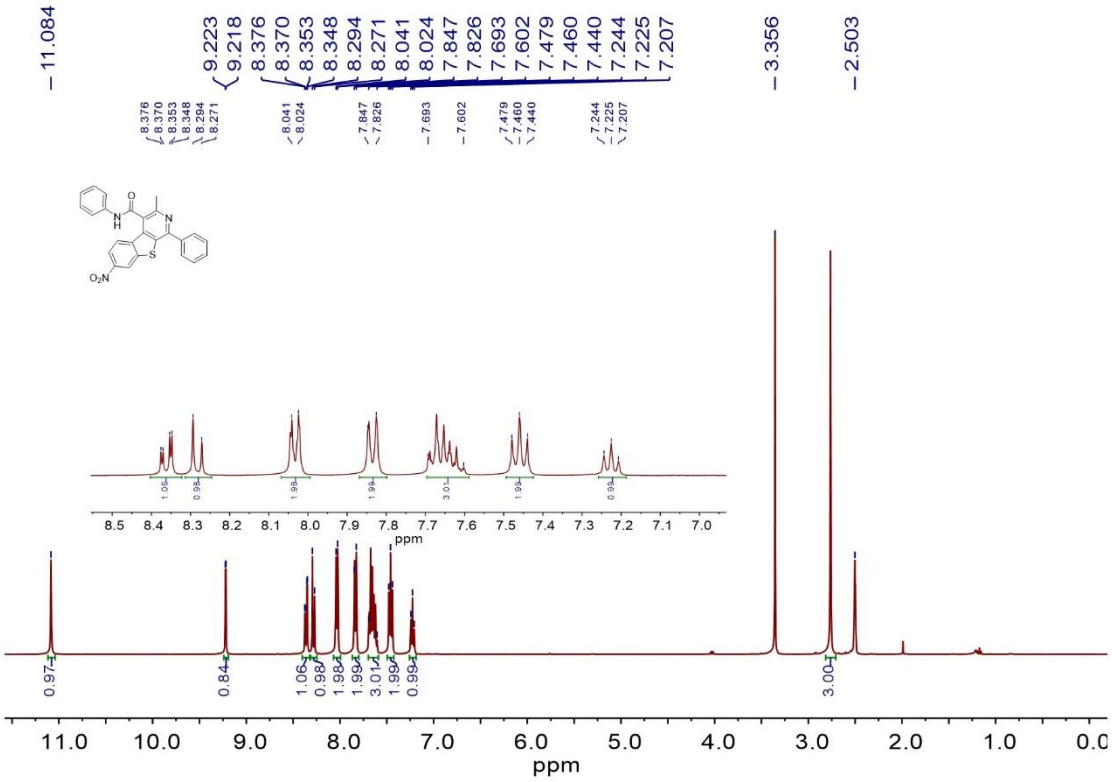


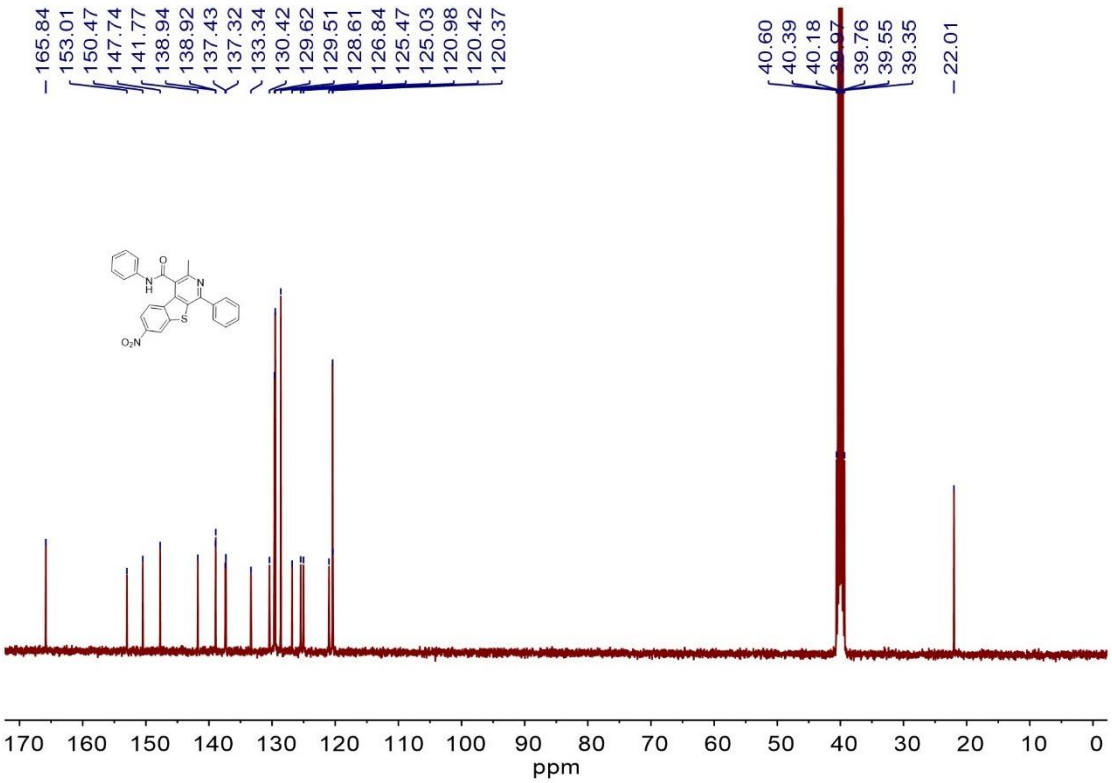
6-Methoxy-3-methyl-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ja)



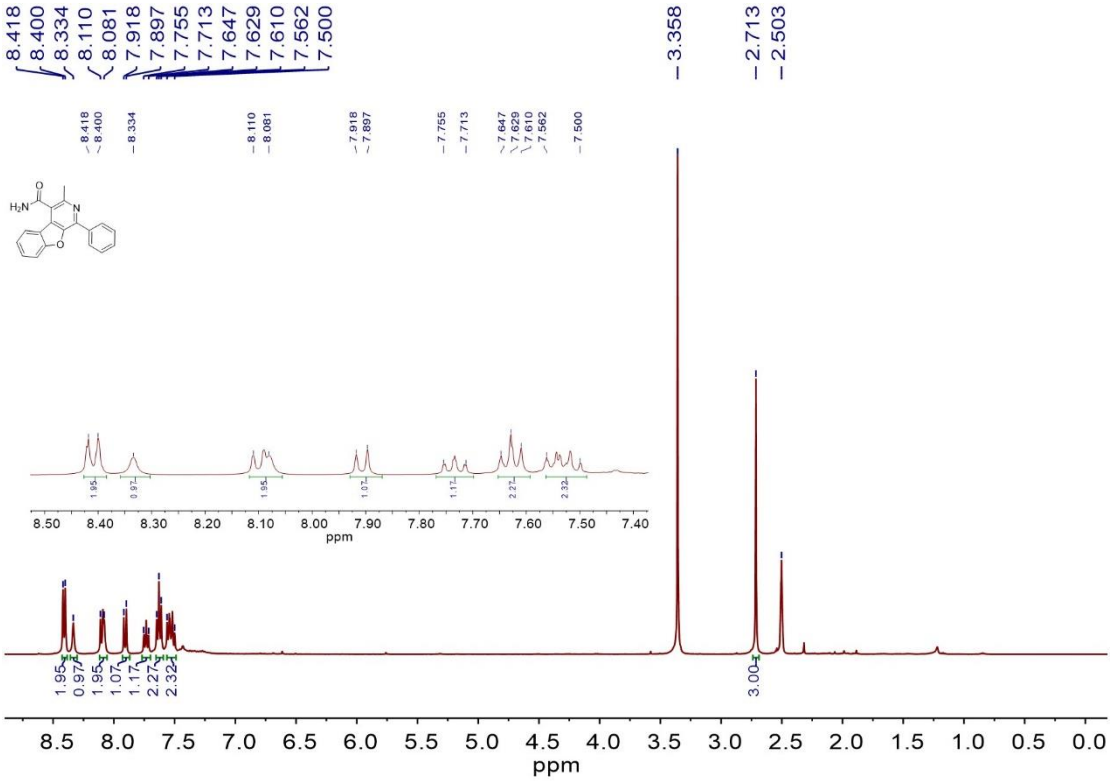


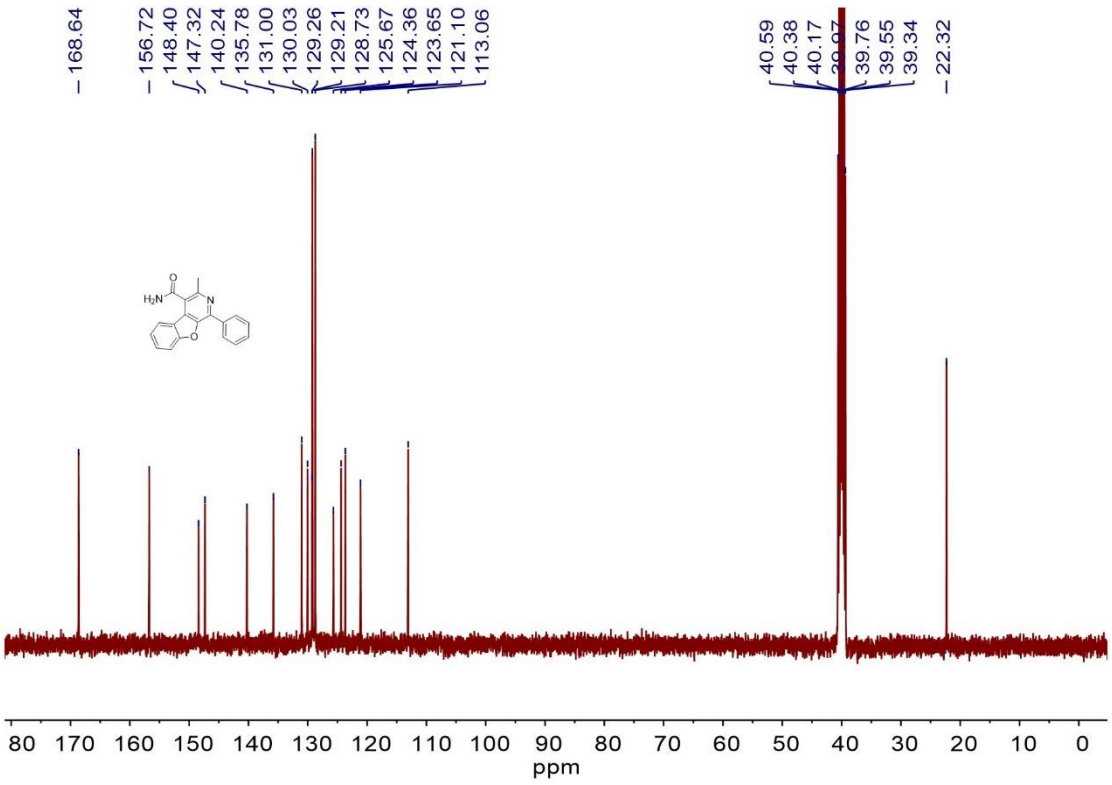
3-Methyl-7-nitro-N,1-diphenylbenzo[4,5]thieno[2,3-*c*]pyridine-4-carboxamide (3ka)



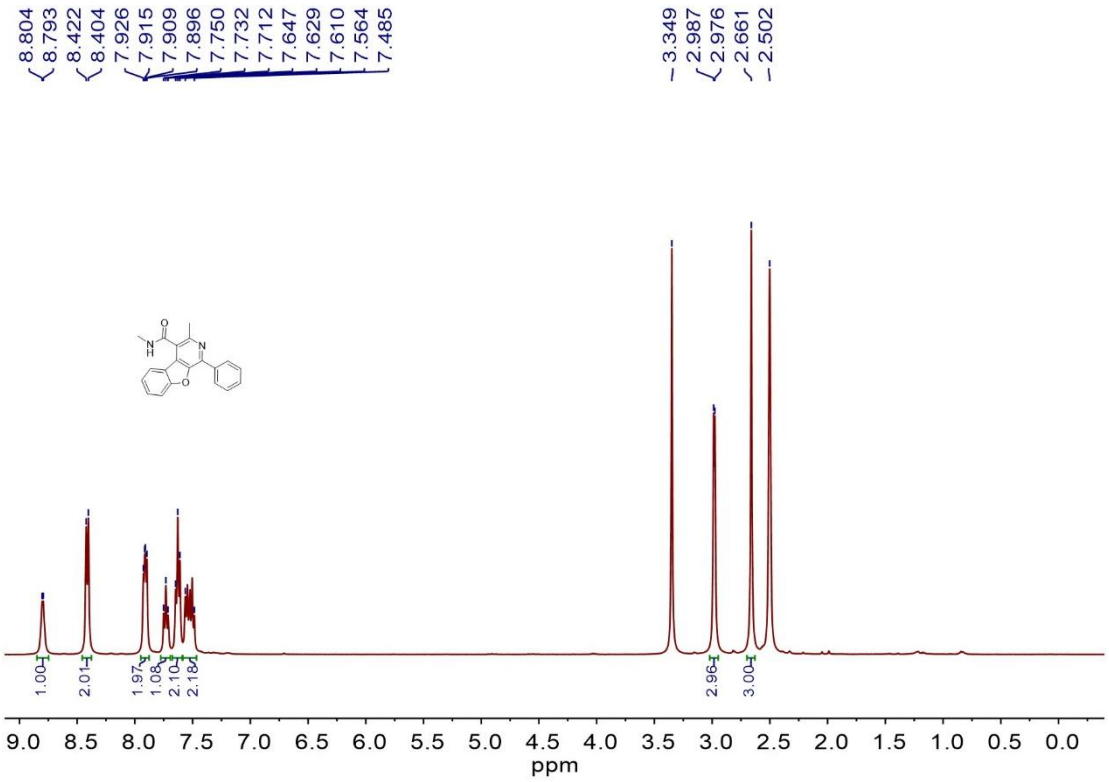


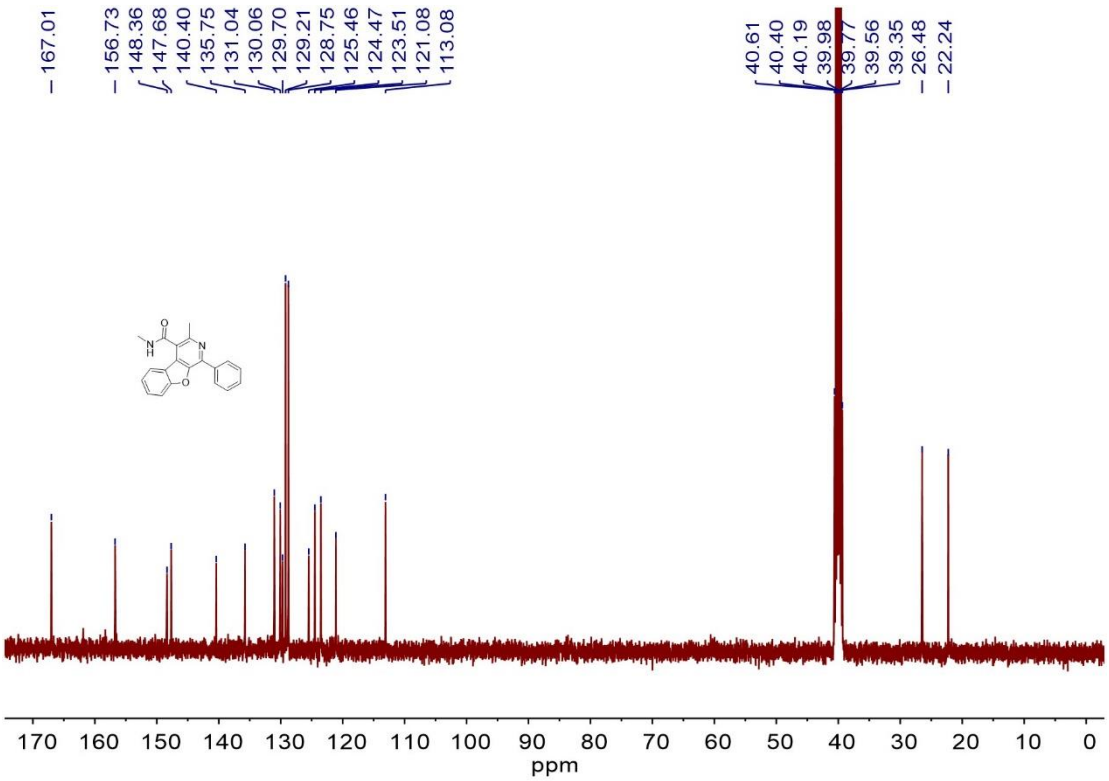
3-Methyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4a)



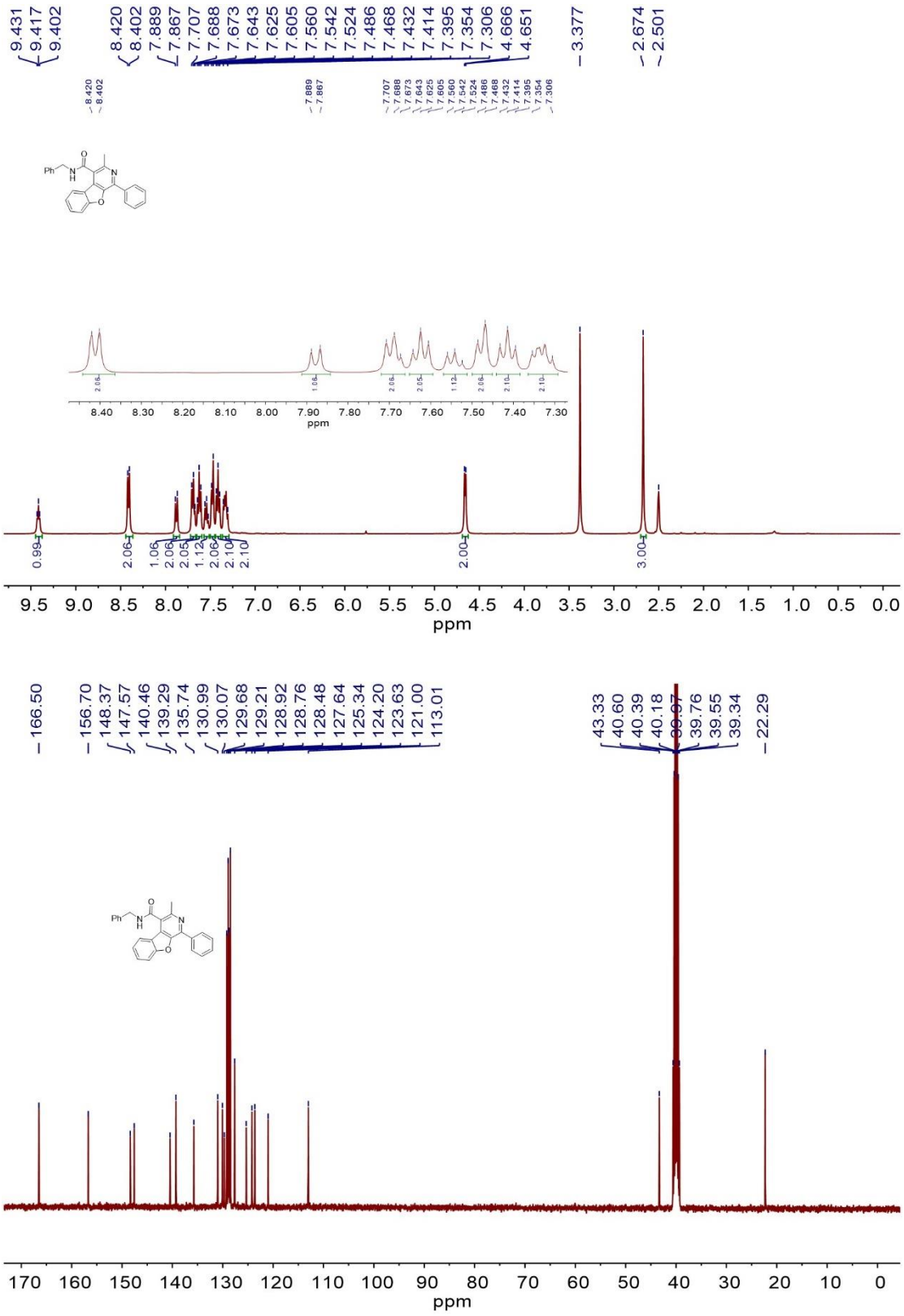


N,3-dimethyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4b)

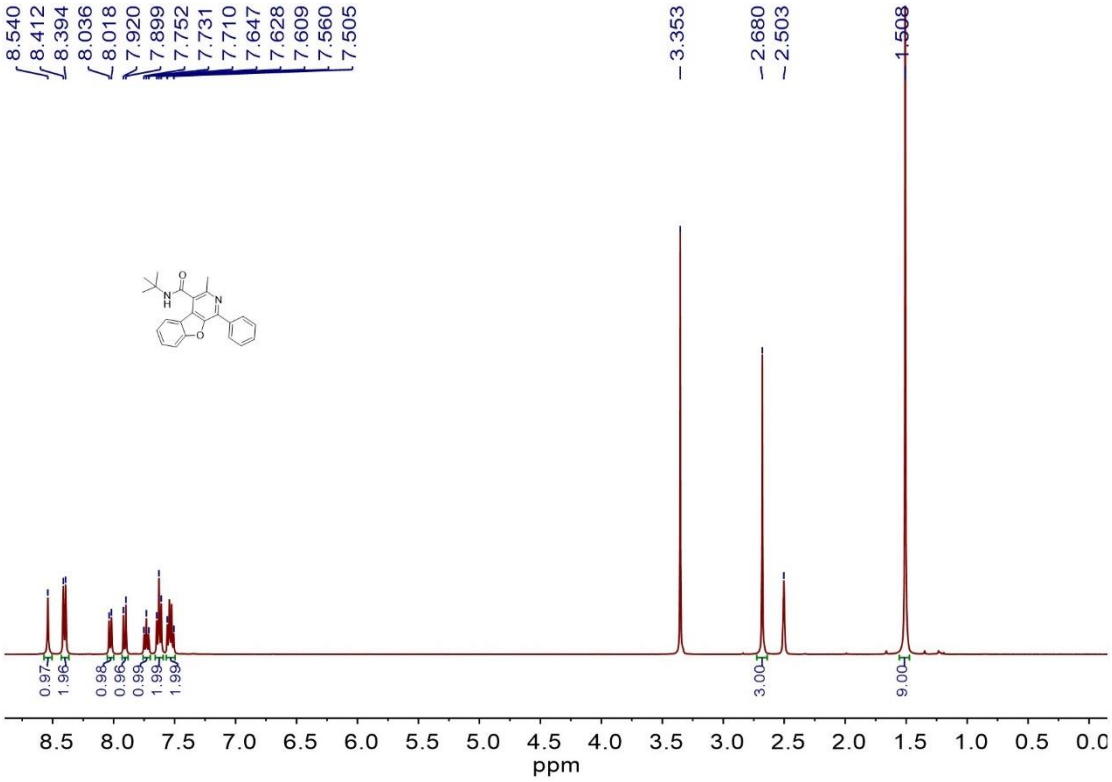


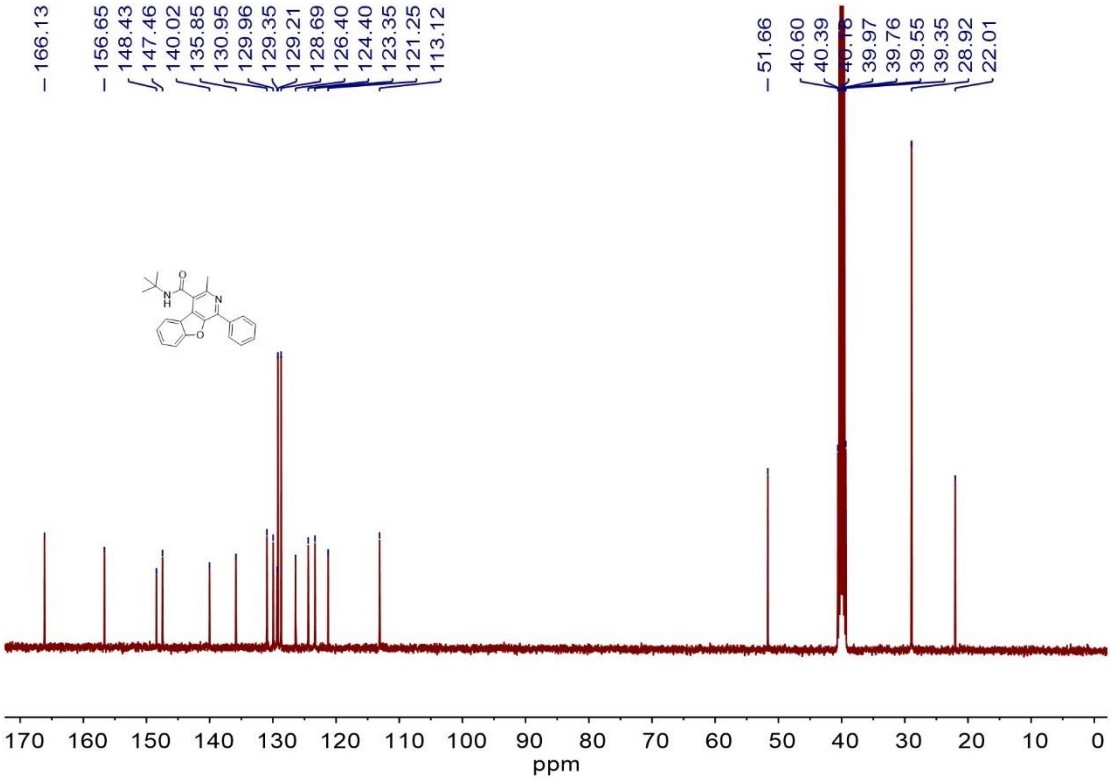


N-benzyl-3-methyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4c)

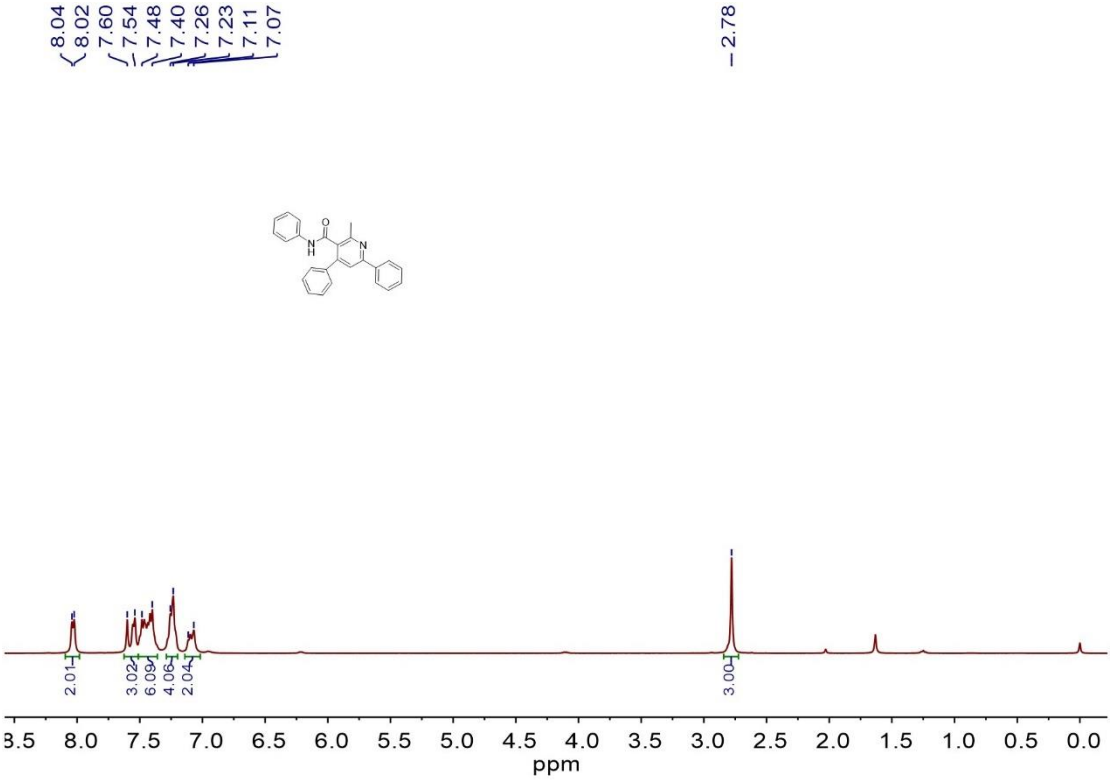


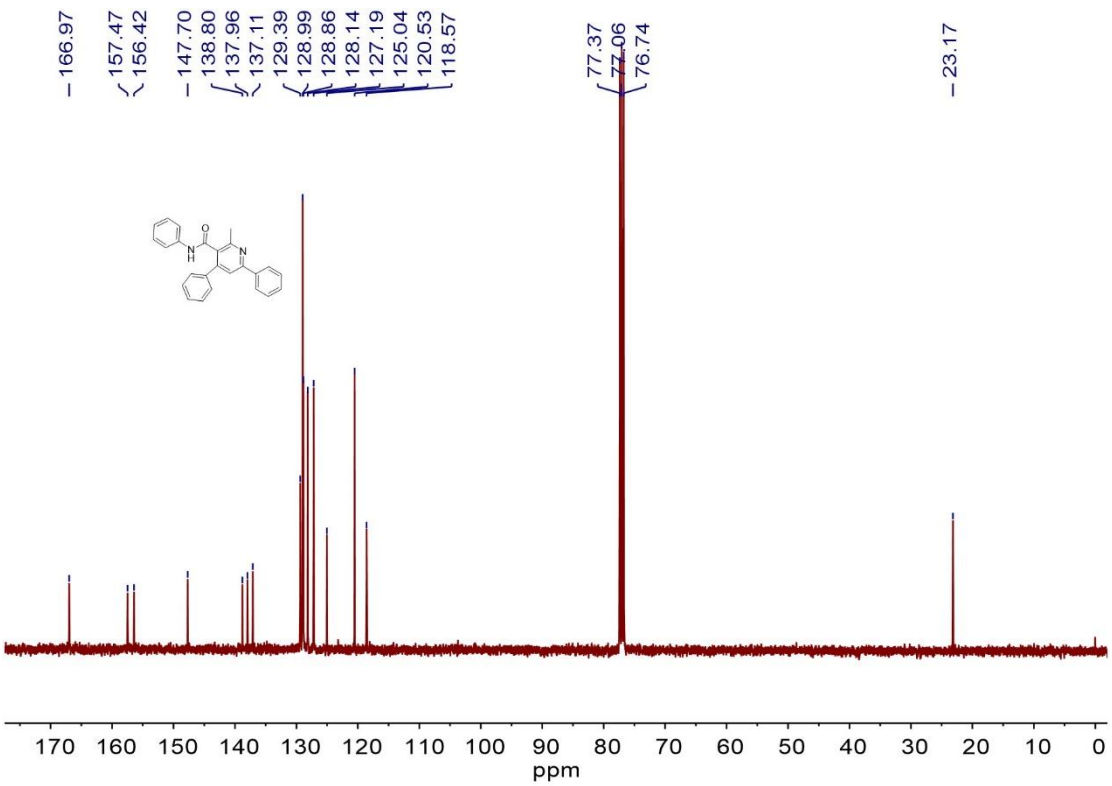
N-(tert-butyl)-3-methyl-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (4d)



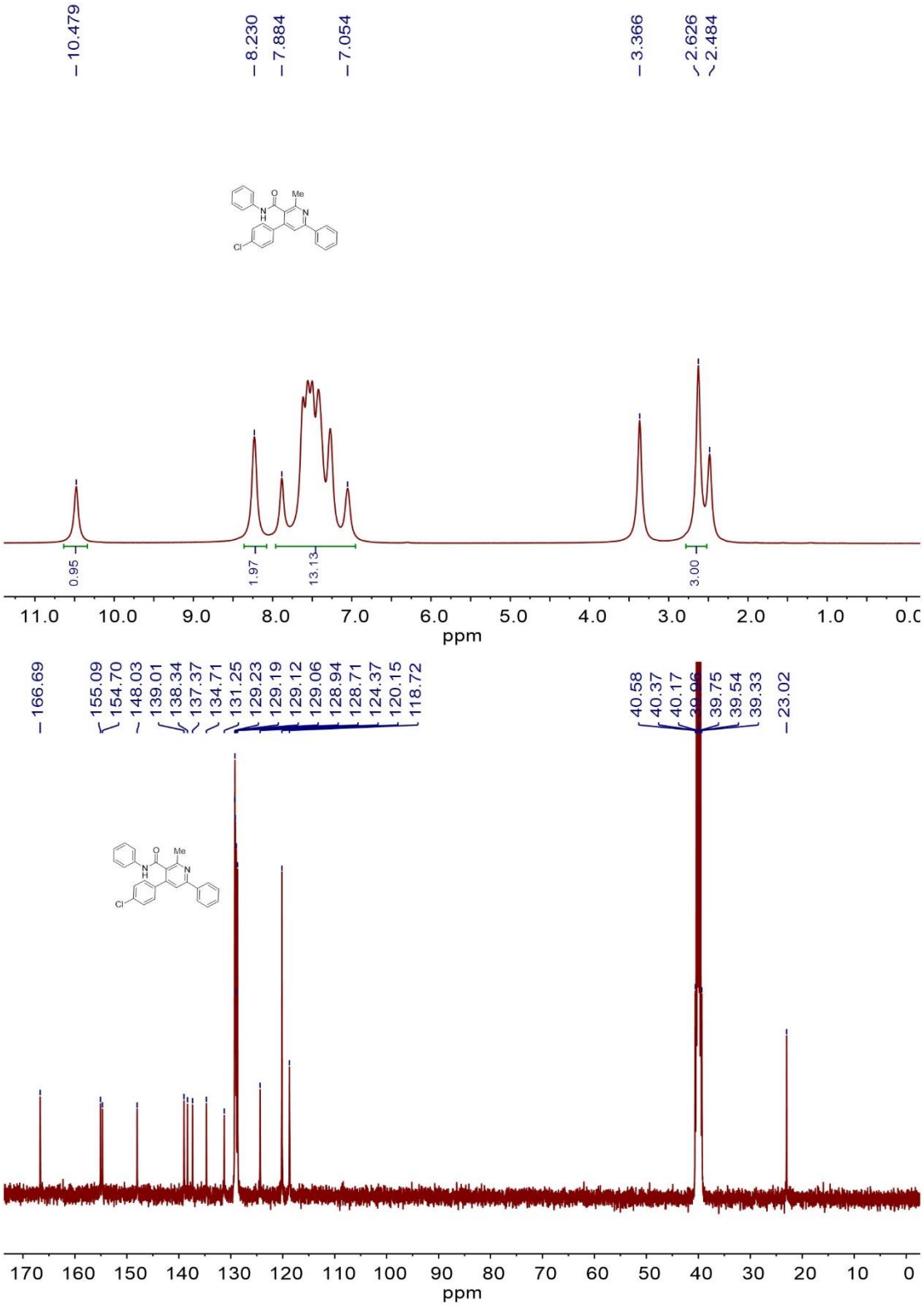


2-Methyl-N,4,6-triphenylnicotinamide (5a)

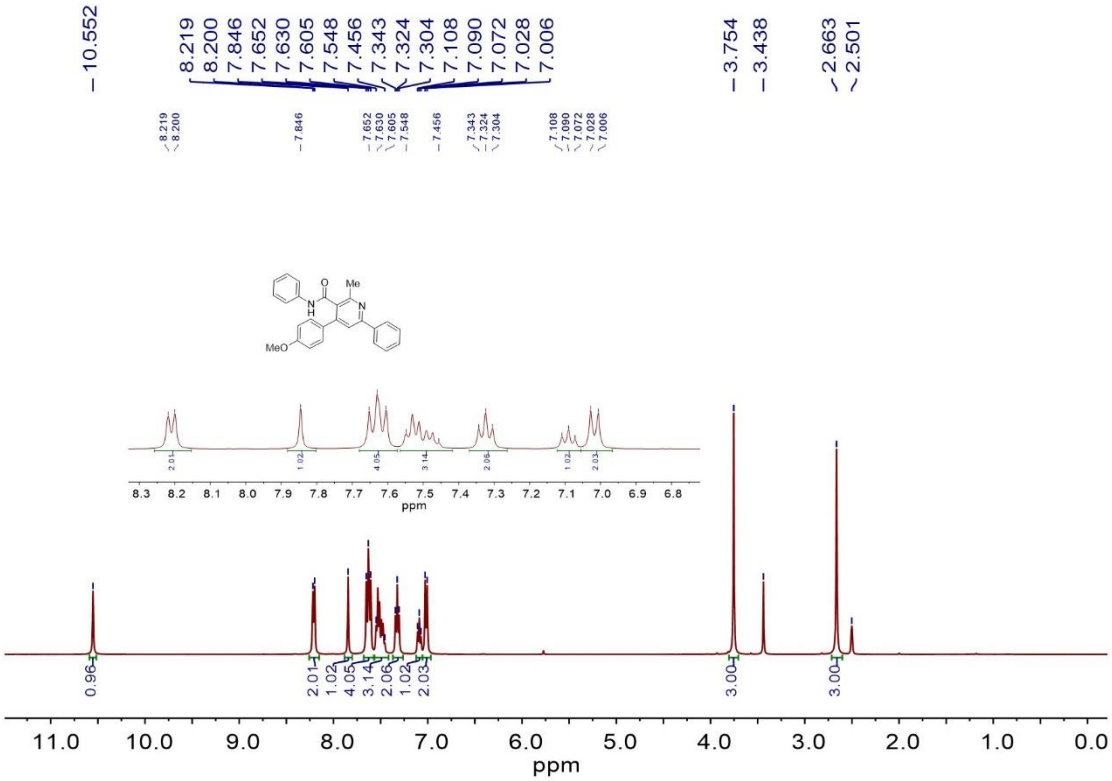


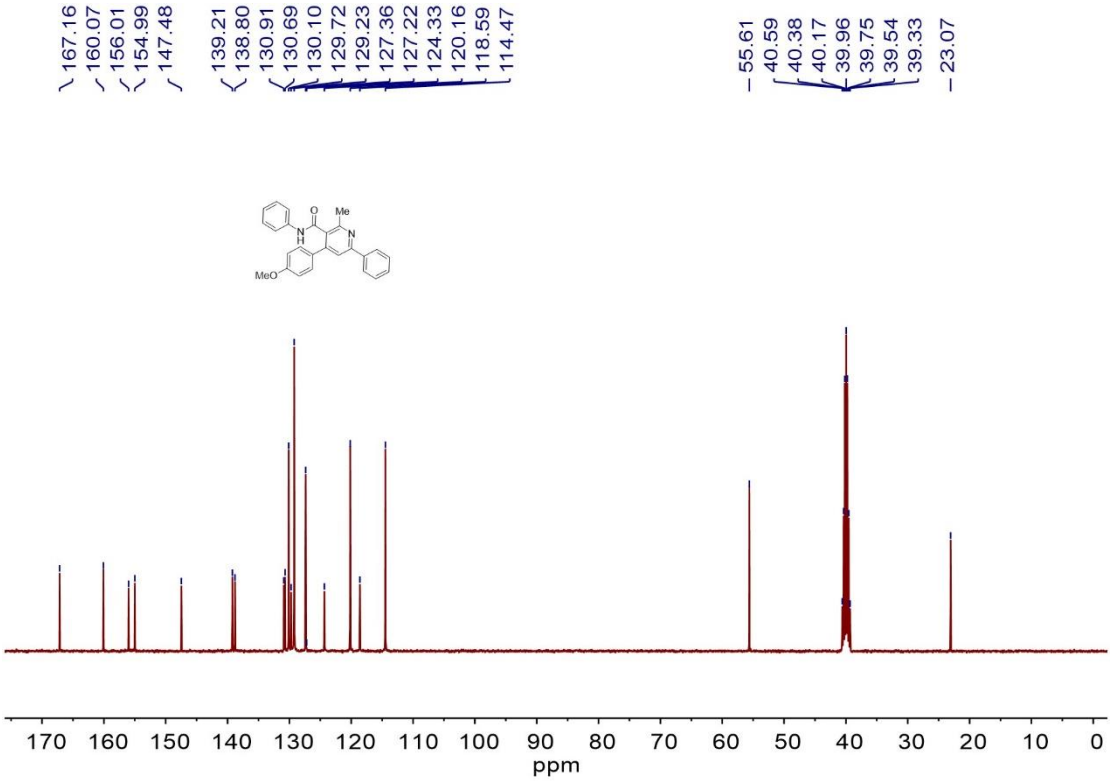


4-(4-Chlorophenyl)-2-methyl-N,6-diphenylnicotinamide (5b)

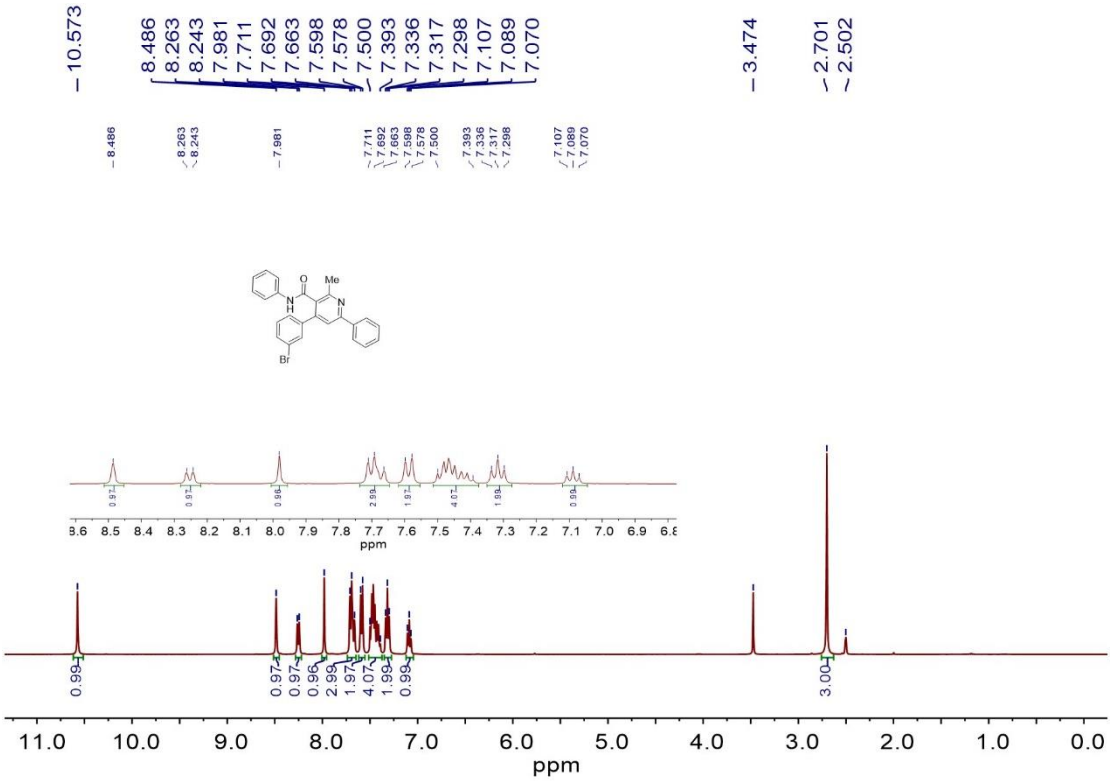


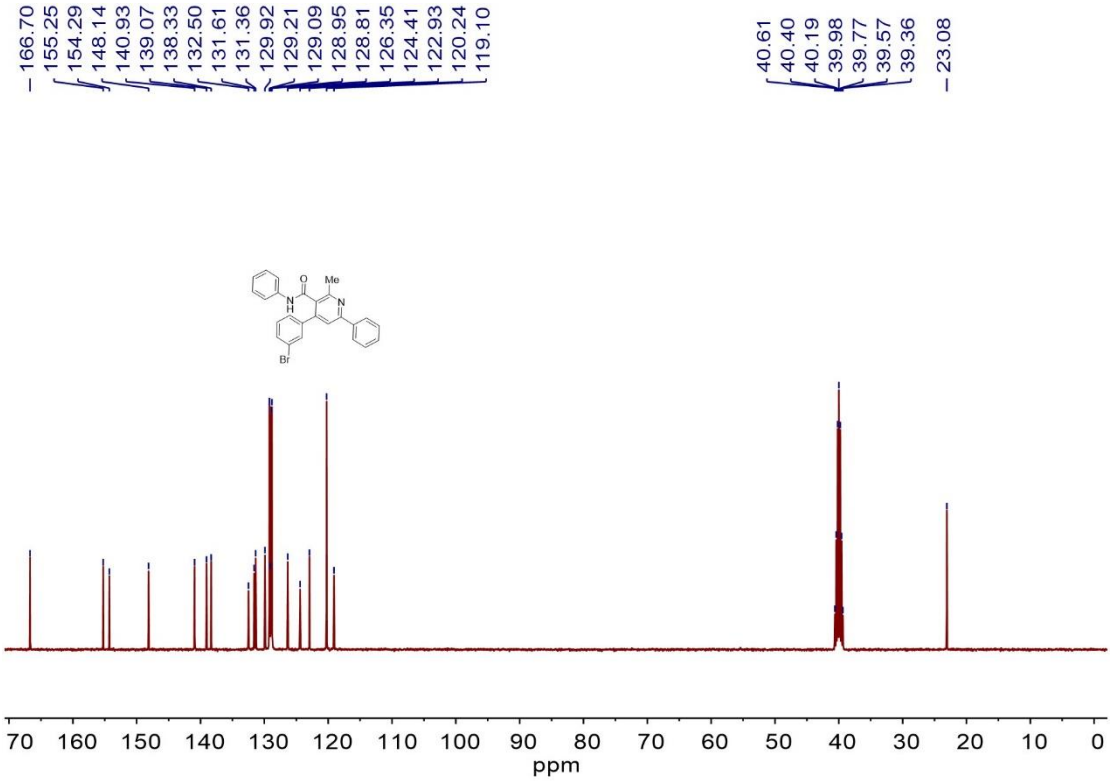
4-(4-Methoxyphenyl)-2-methyl-N,6-diphenylnicotinamide (5c)



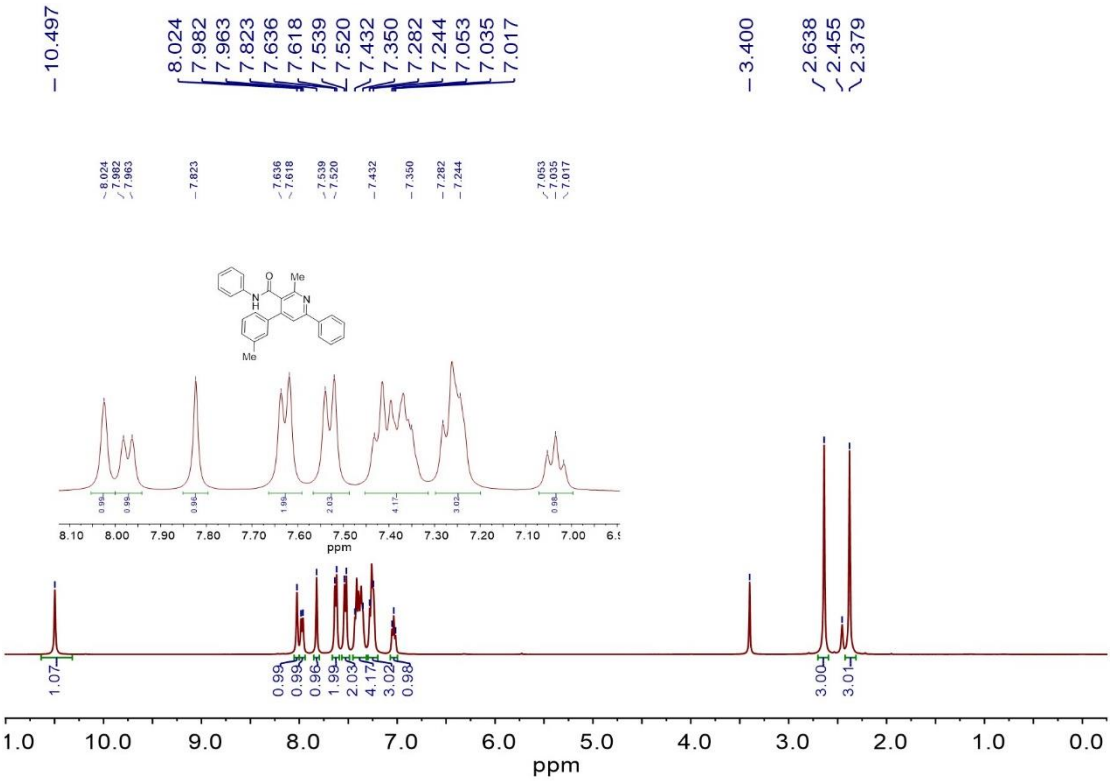


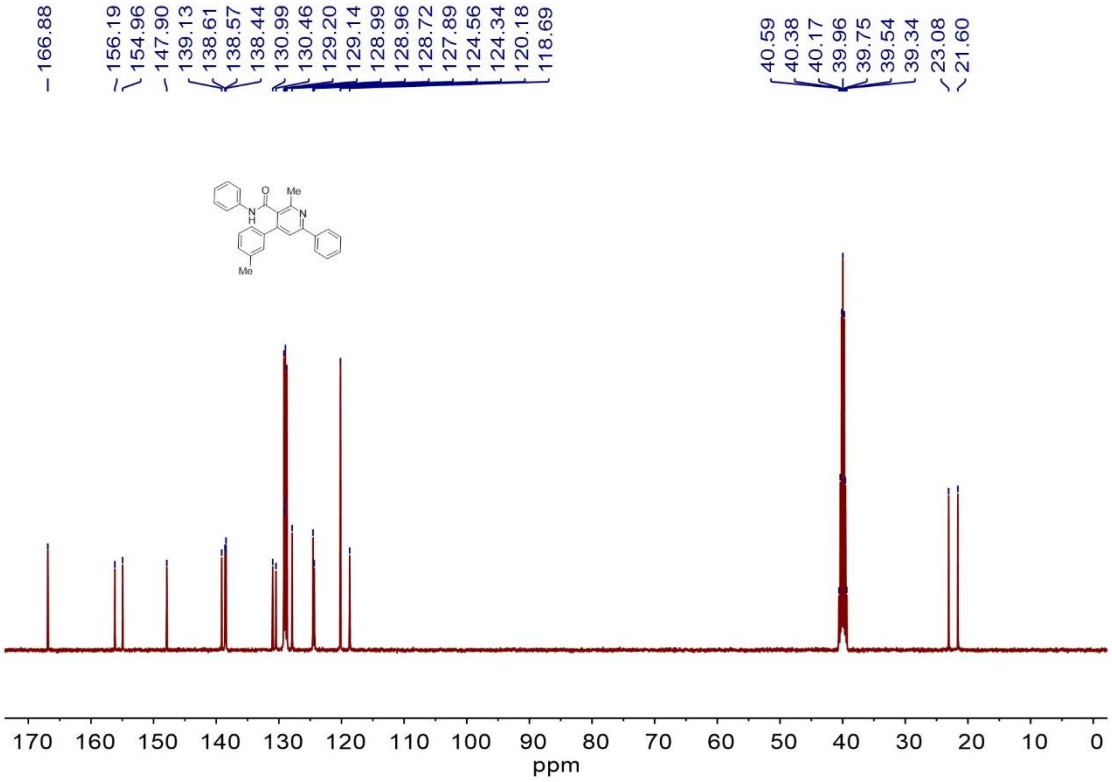
4-(3-Bromophenyl)-2-methyl-N,6-diphenylnicotinamide (5d)



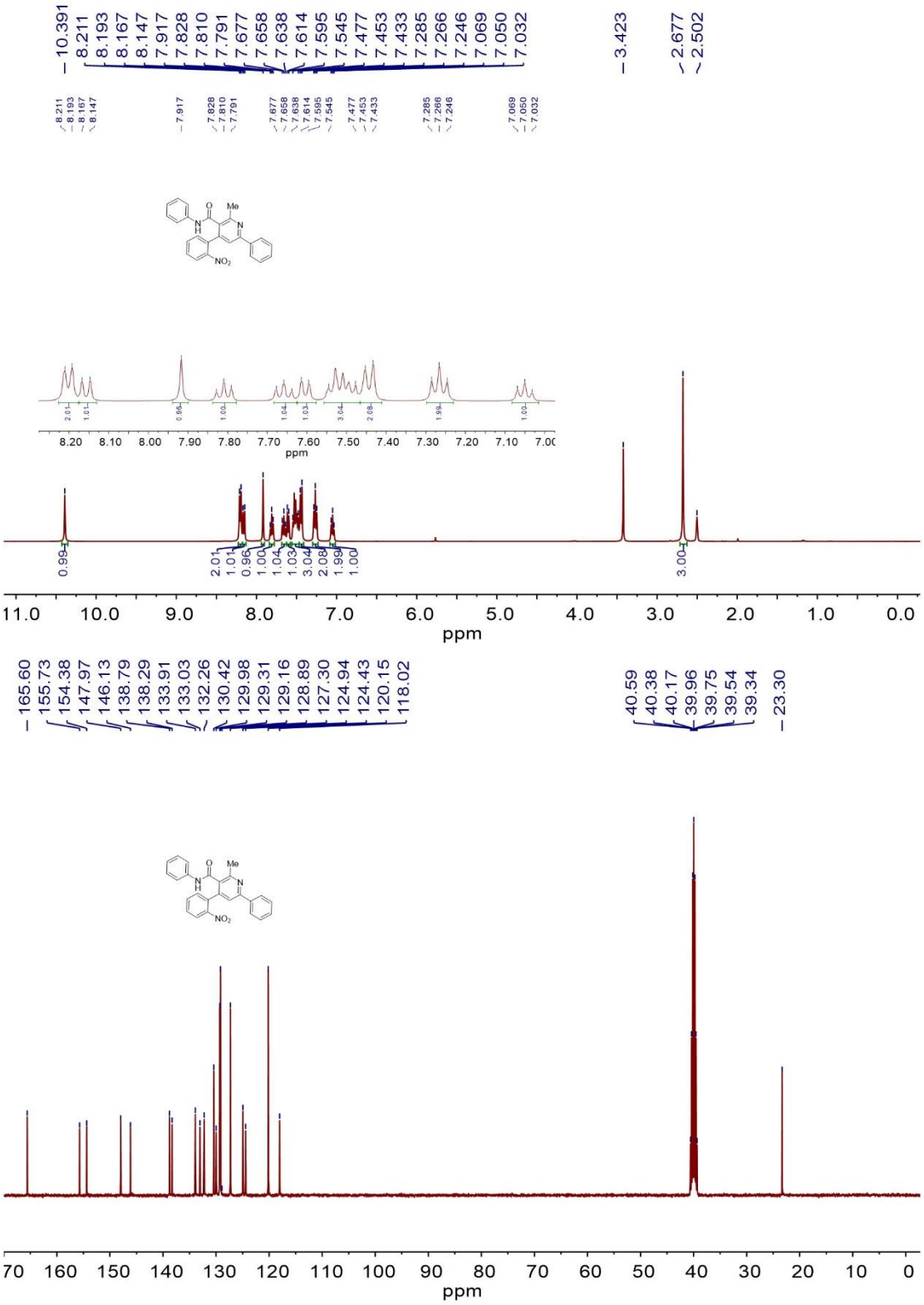


2-Methyl-N,6-diphenyl-4-(m-tolyl)nicotinamide (5e)

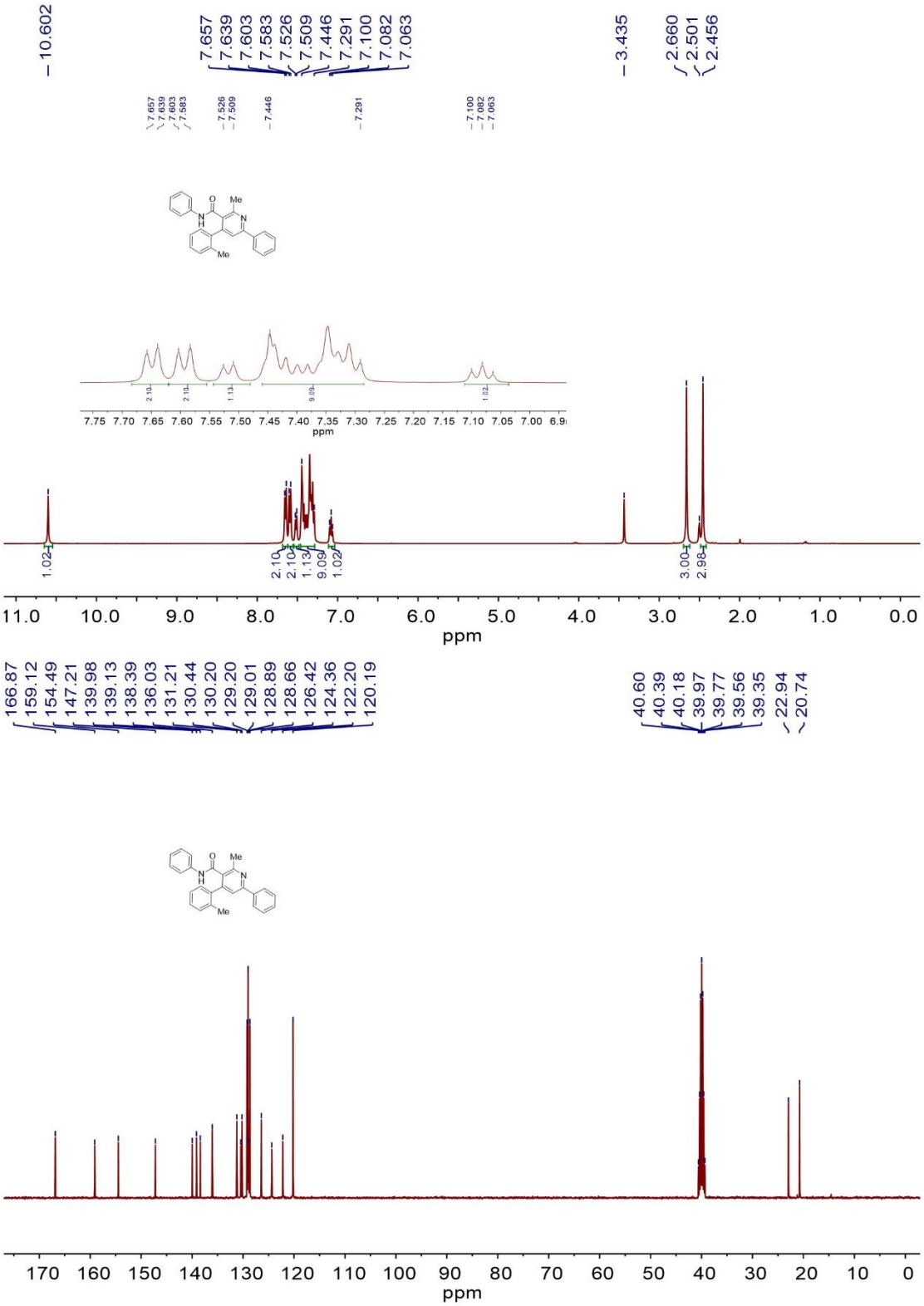




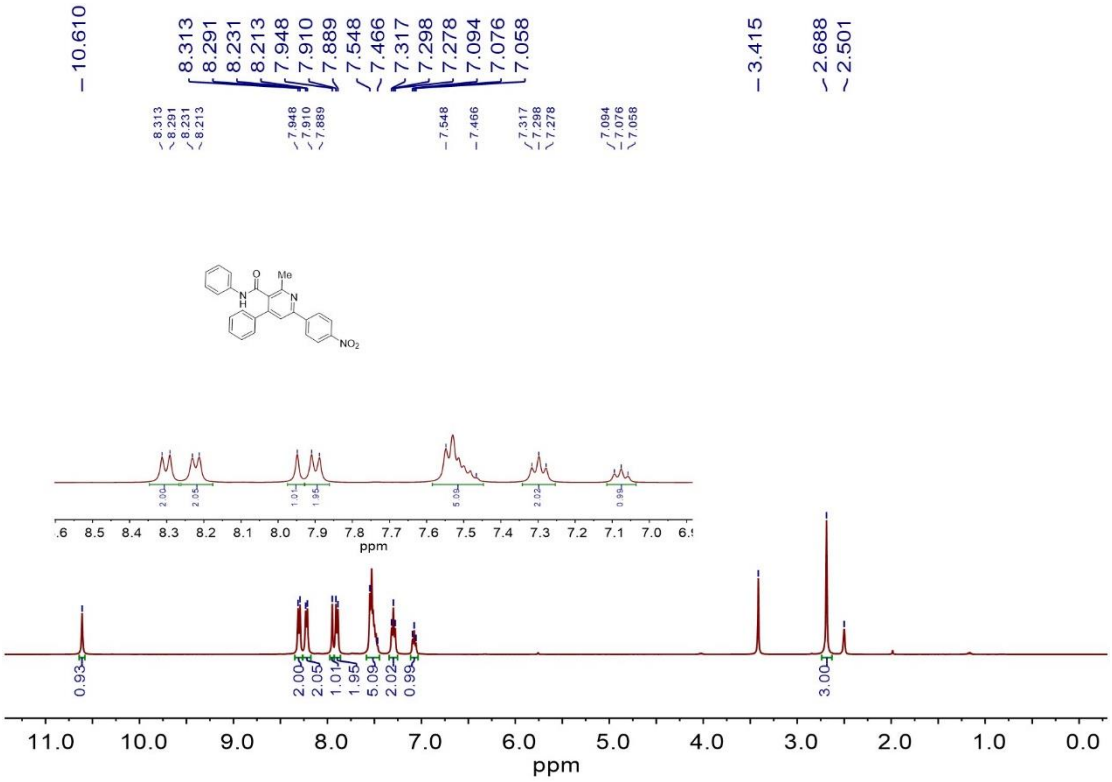
2-Methyl-4-(2-nitrophenyl)-N,6-diphenylnicotinamide (5f)

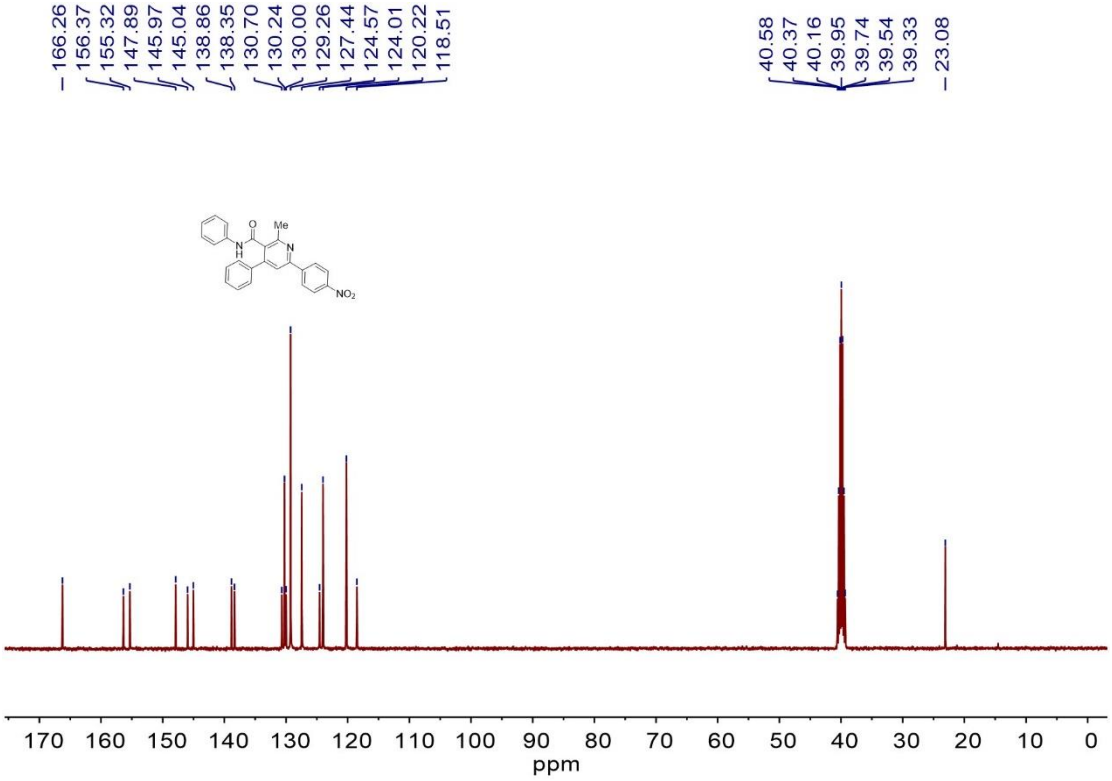


2-Methyl-N,6-diphenyl-4-(o-tolyl)nicotinamide (5g)

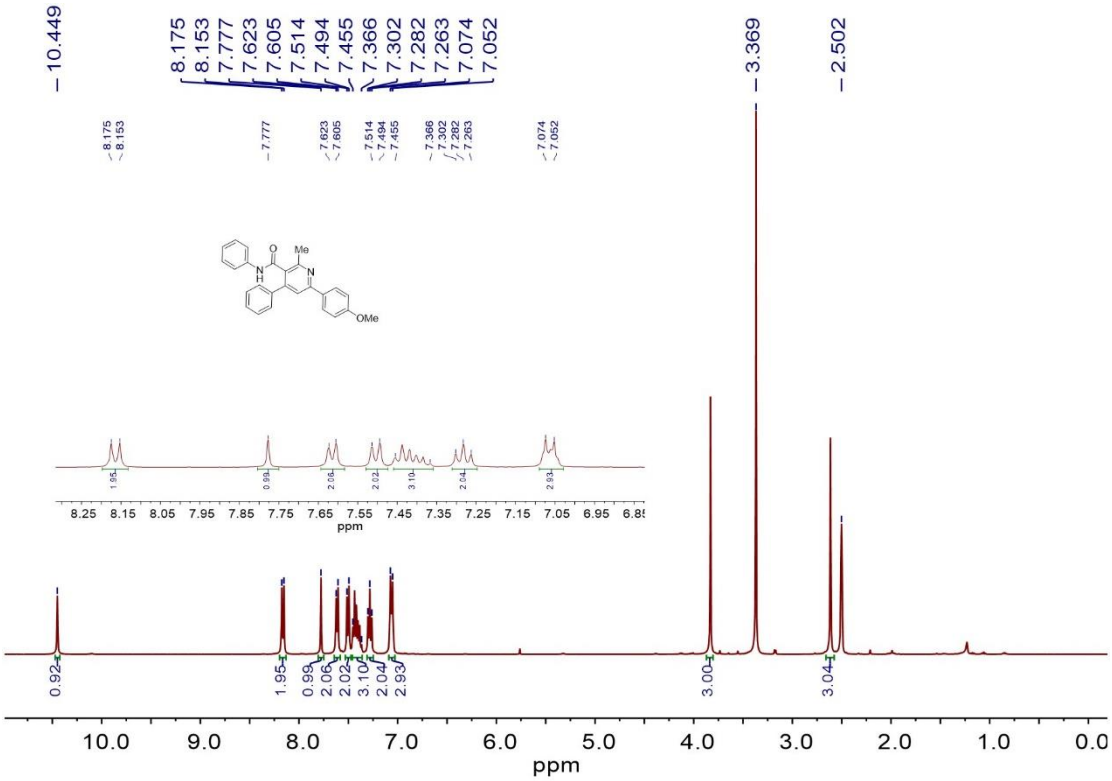


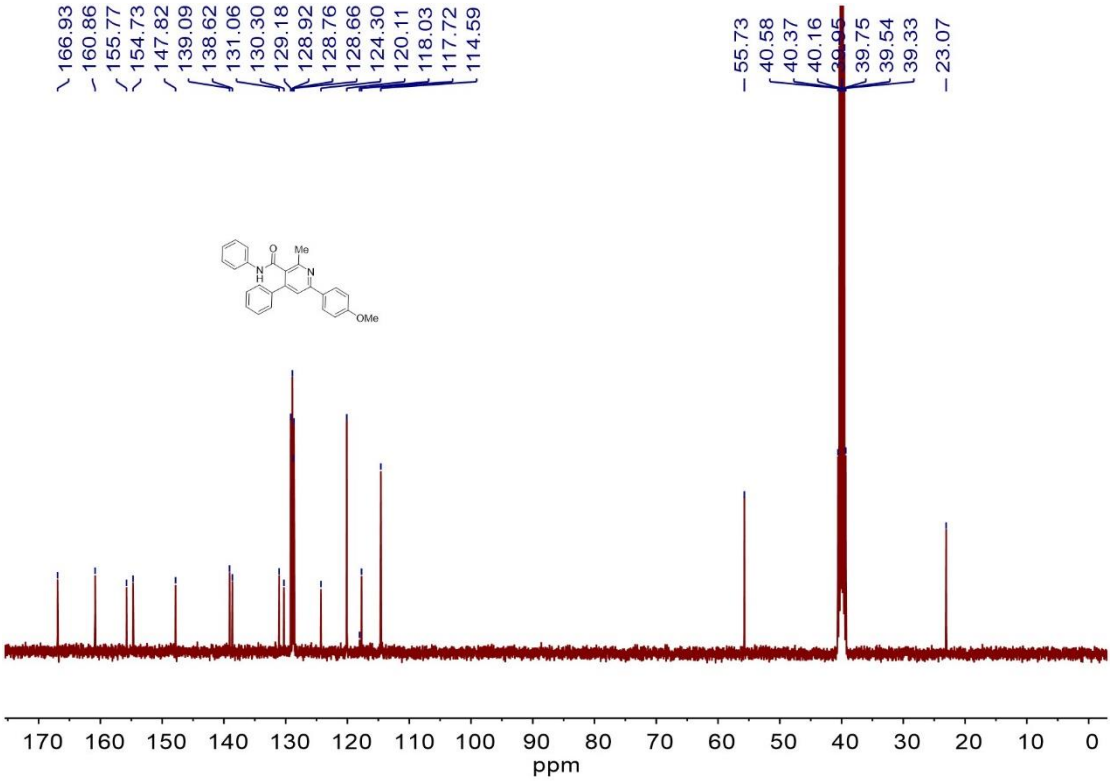
2-Methyl-6-(4-nitrophenyl)-N,4-diphenylnicotinamide (5h)



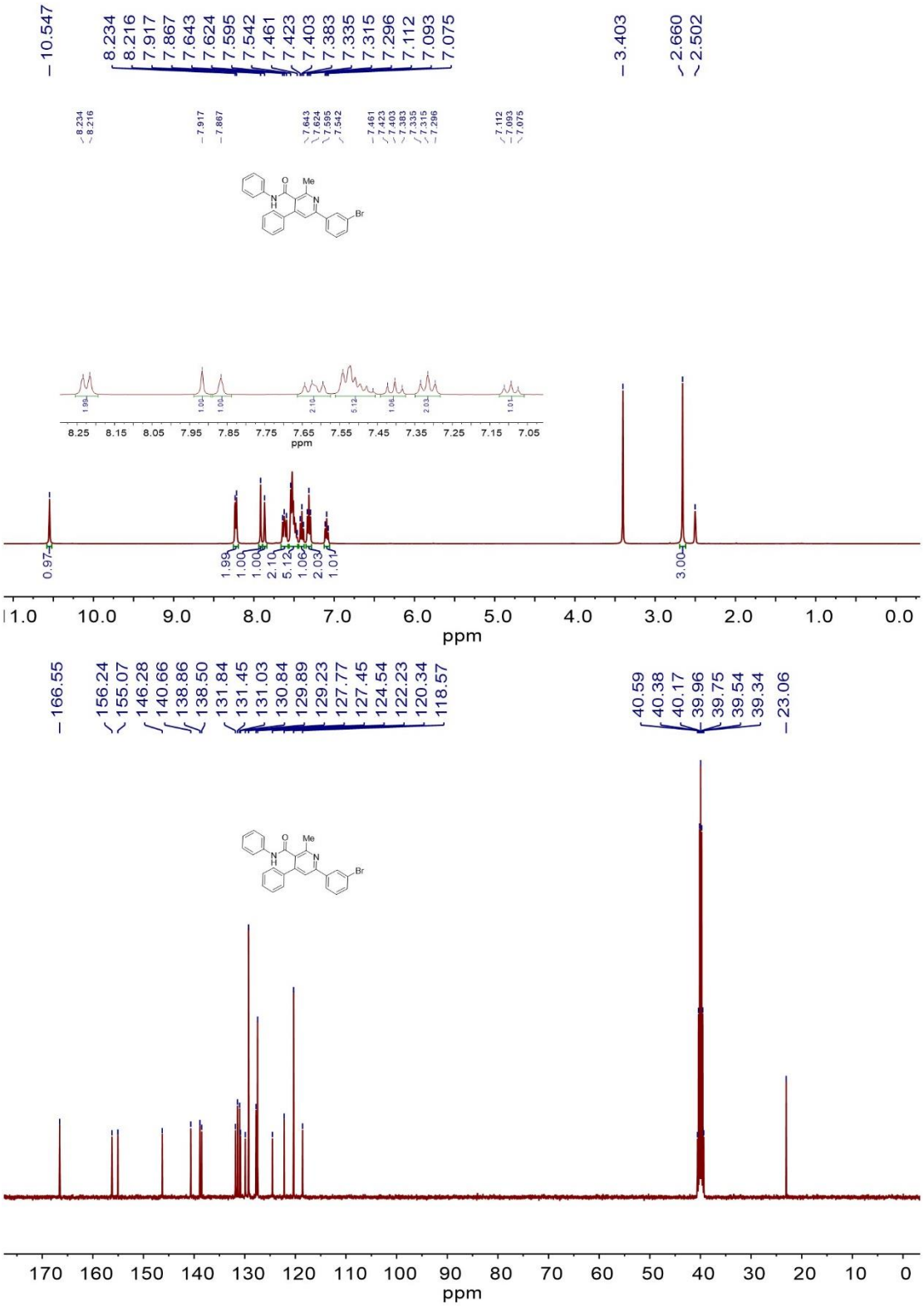


6-(4-Methoxyphenyl)-2-methyl-N,4-diphenylnicotinamide (5i)

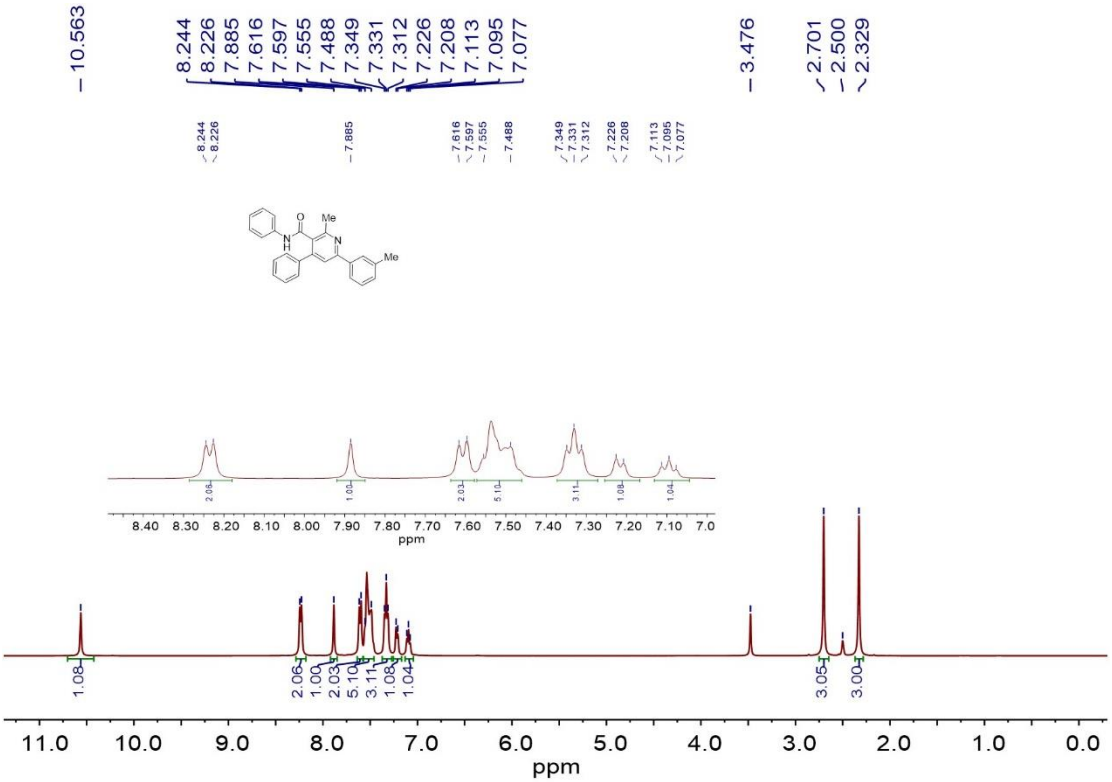


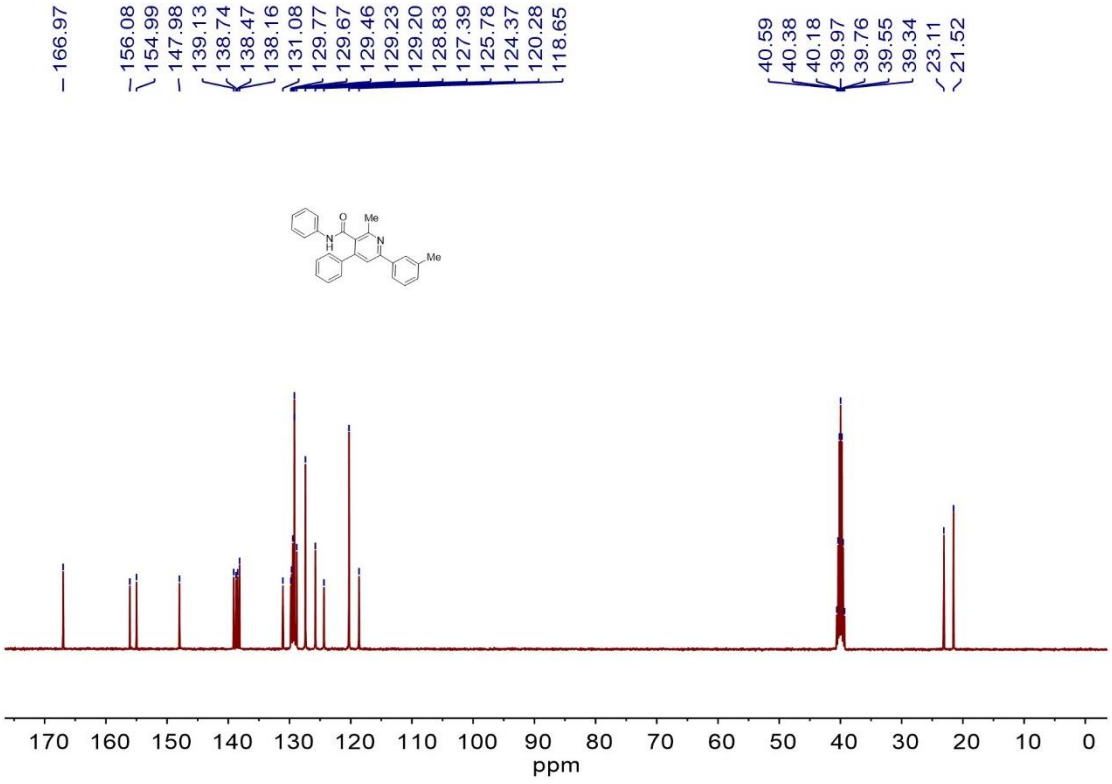


6-(3-Bromophenyl)-2-methyl-N,4-diphenylnicotinamide (5j)

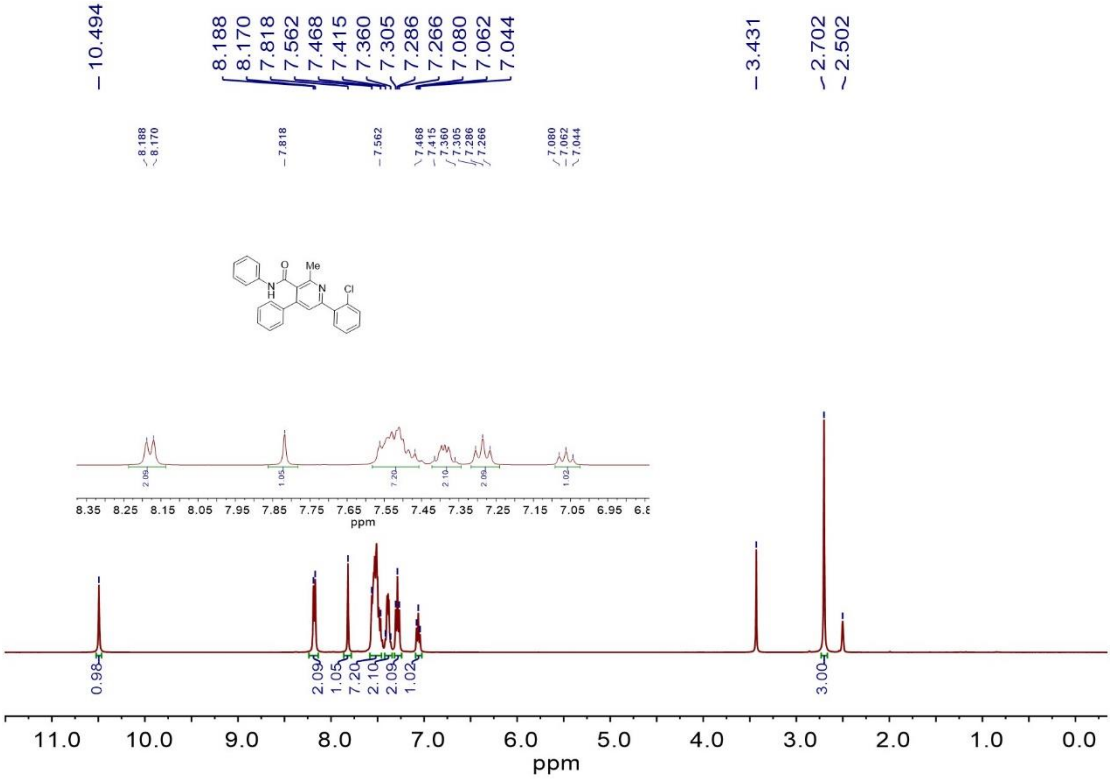


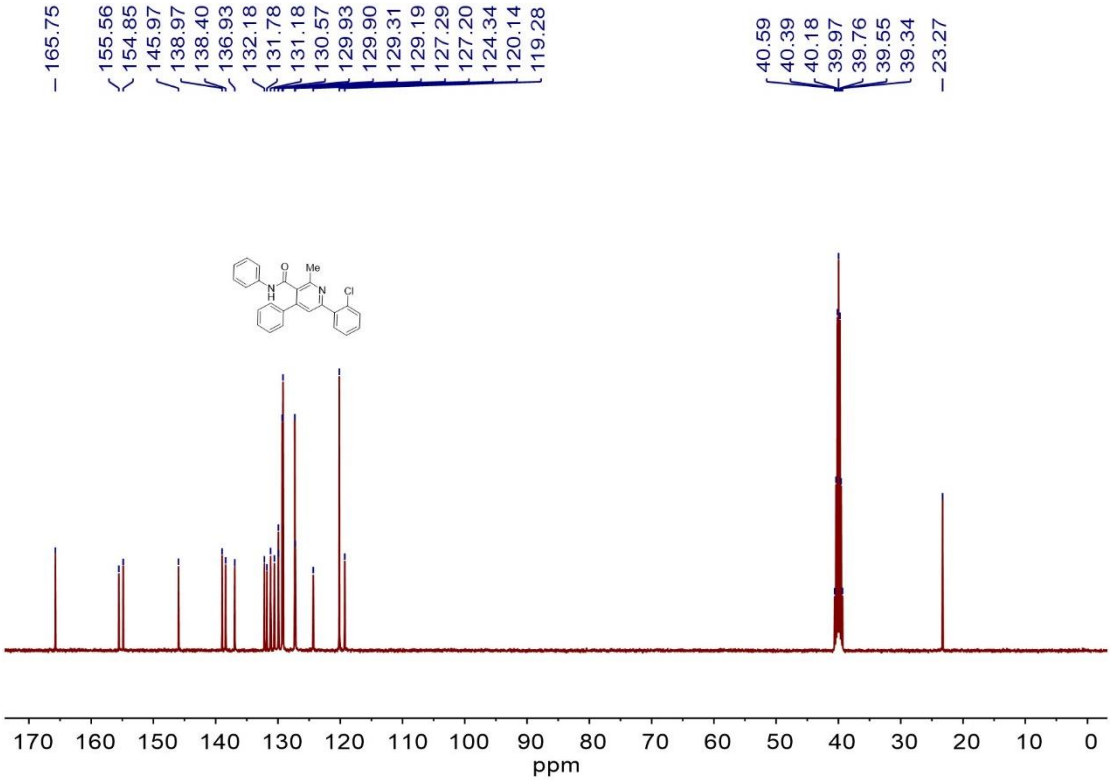
2-Methyl-N,4-diphenyl-6-(m-tolyl)nicotinamide (5k)



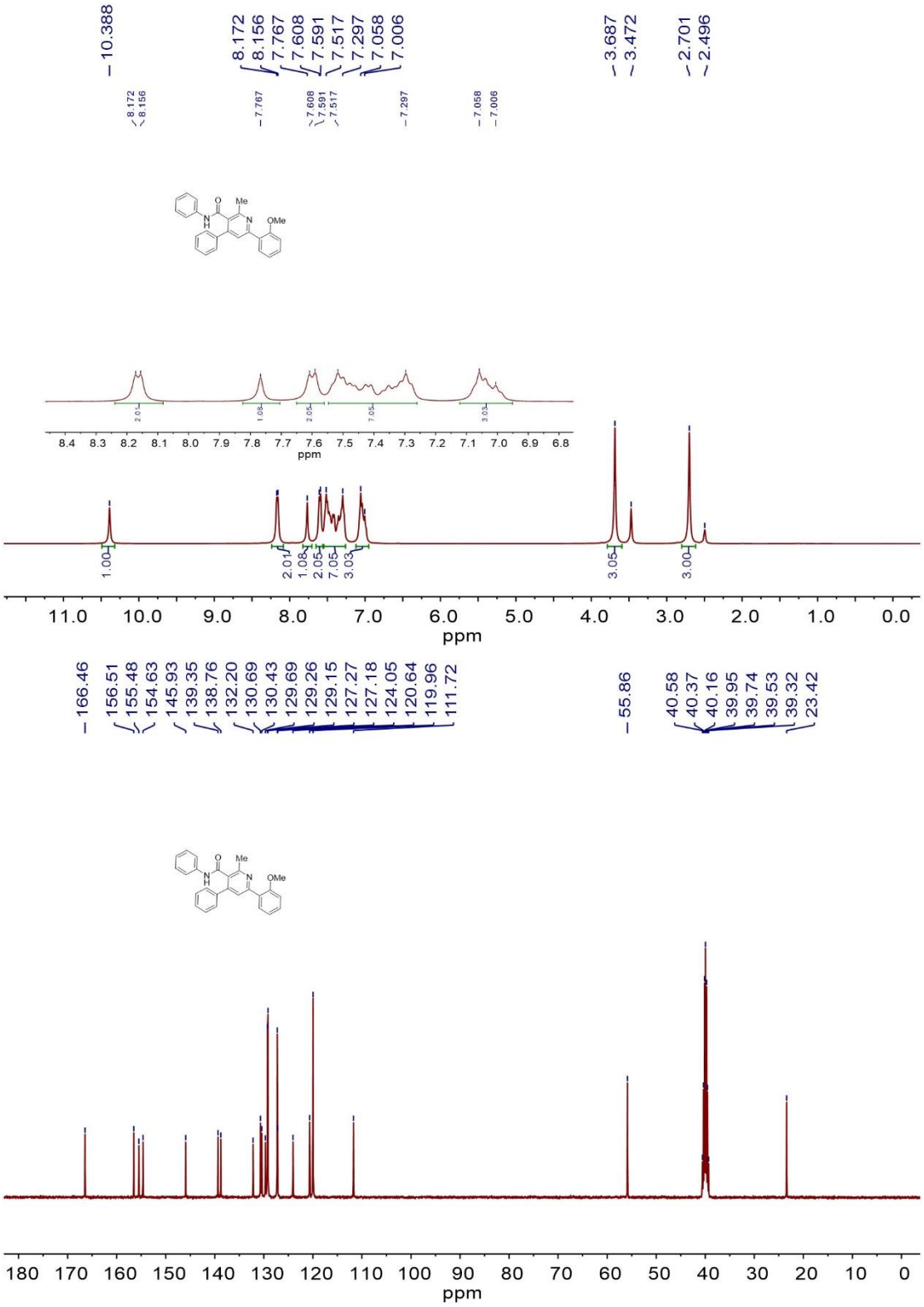


6-(2-Chlorophenyl)-2-methyl-N,4-diphenylnicotinamide (5l)

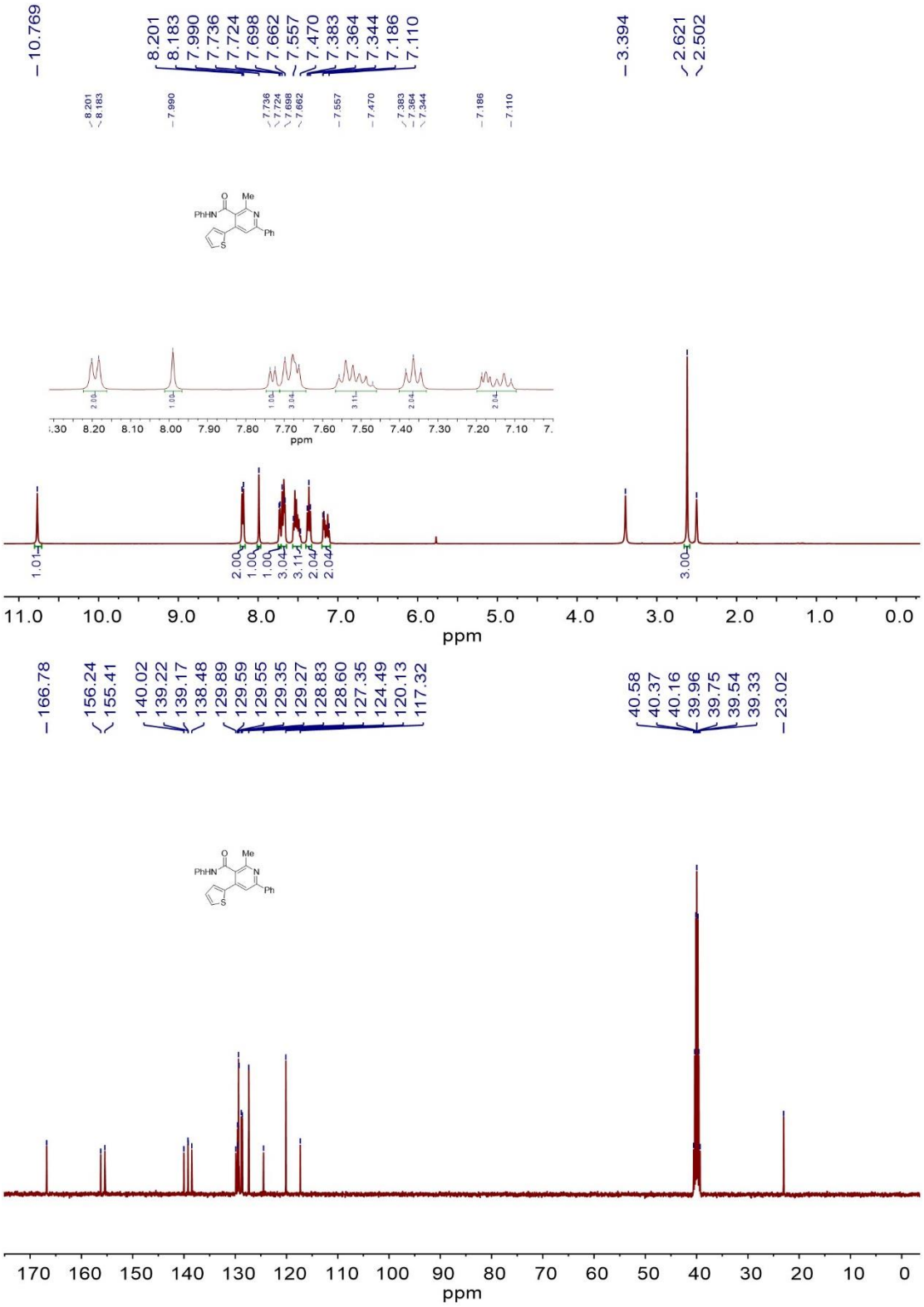




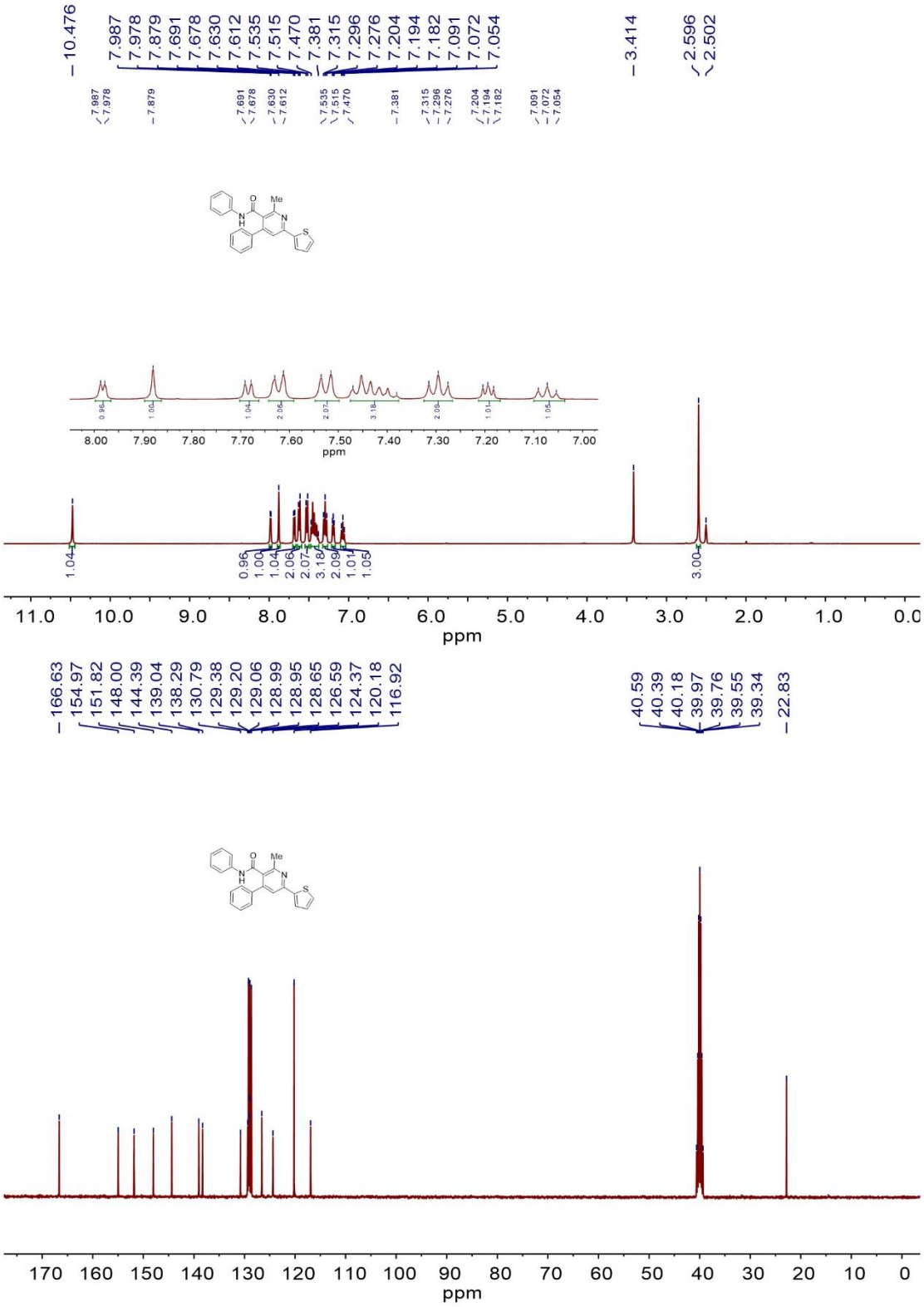
6-(2-Methoxyphenyl)-2-methyl-N,4-diphenylnicotinamide (5m)



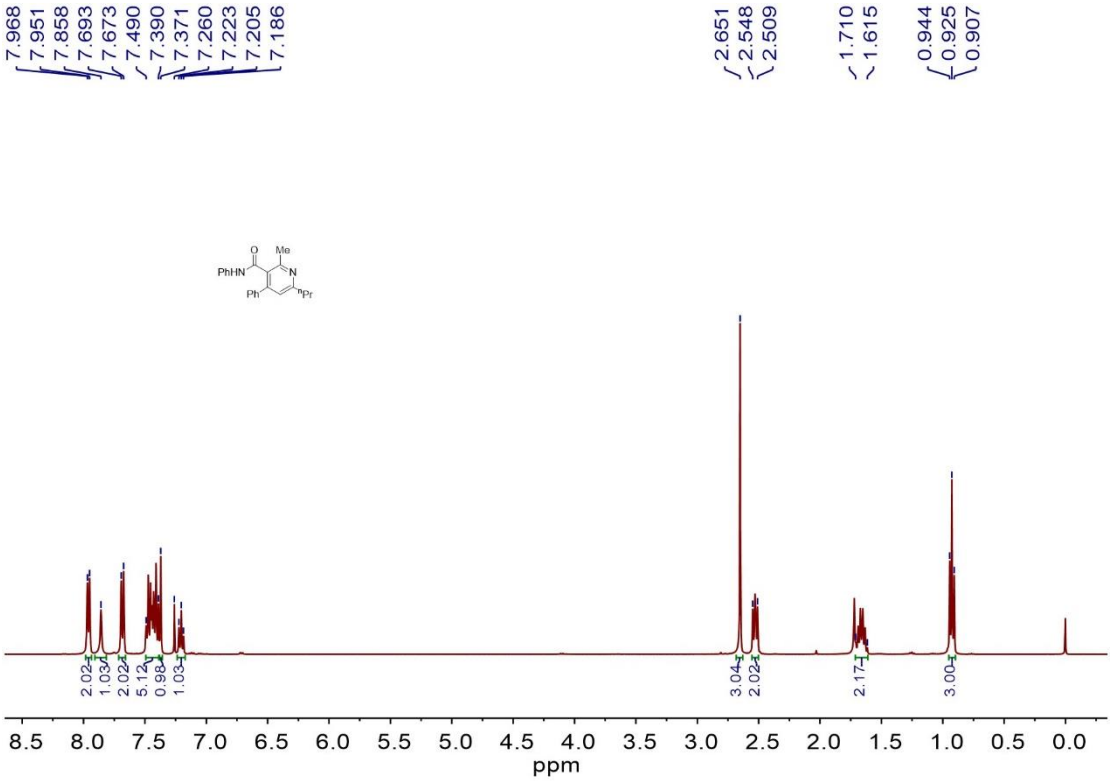
2-Methyl-N,6-diphenyl-4-(thiophen-2-yl)nicotinamide (5n)

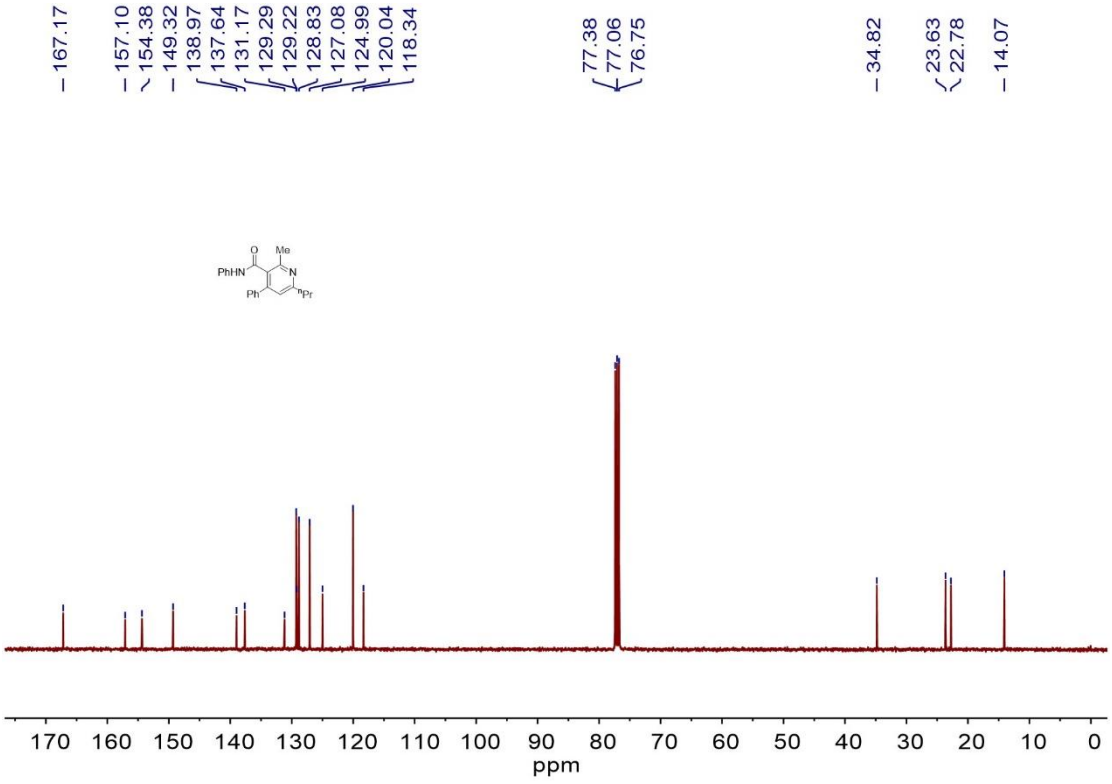


2-Methyl-N,4-diphenyl-6-(thiophen-2-yl)nicotinamide (5o)

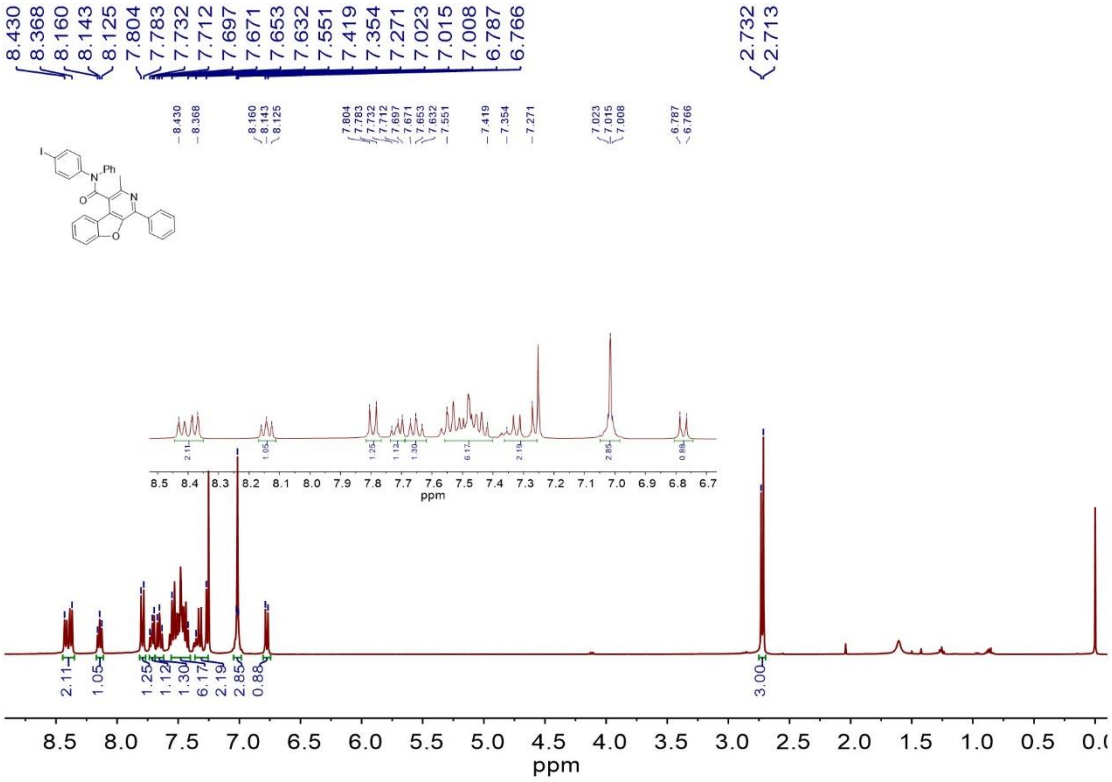


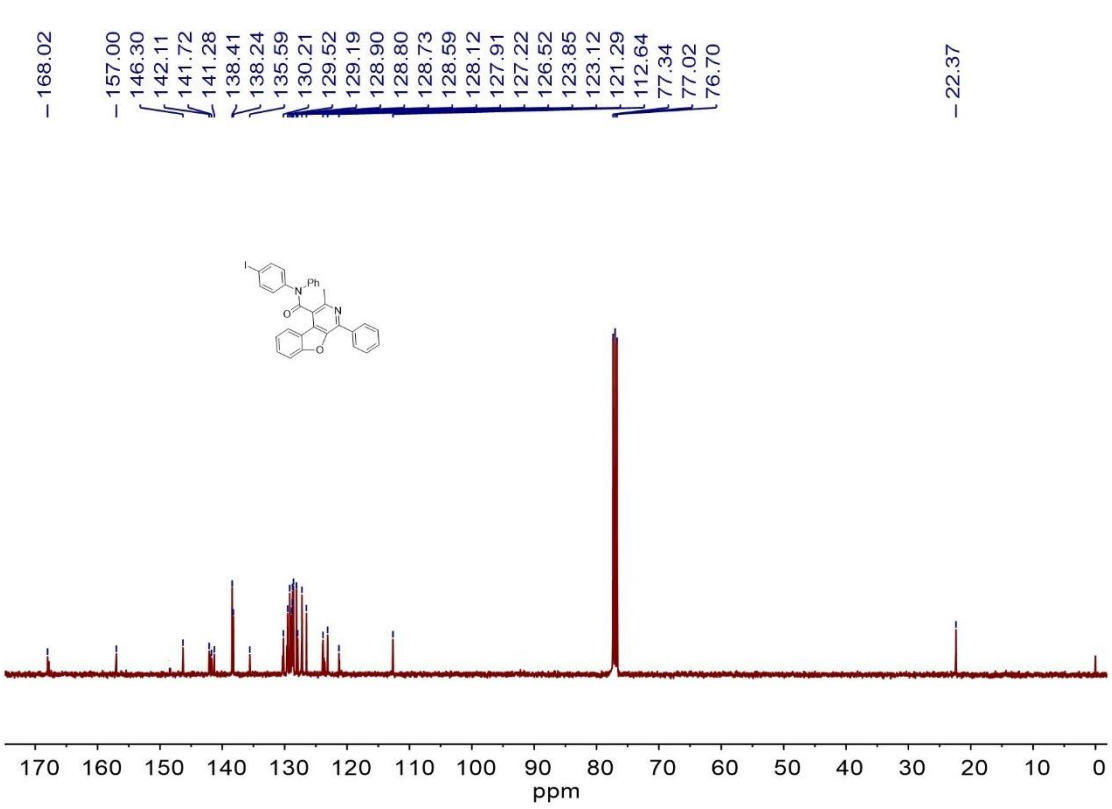
2-Methyl-N,4-diphenyl-6-propylnicotinamide (5p)



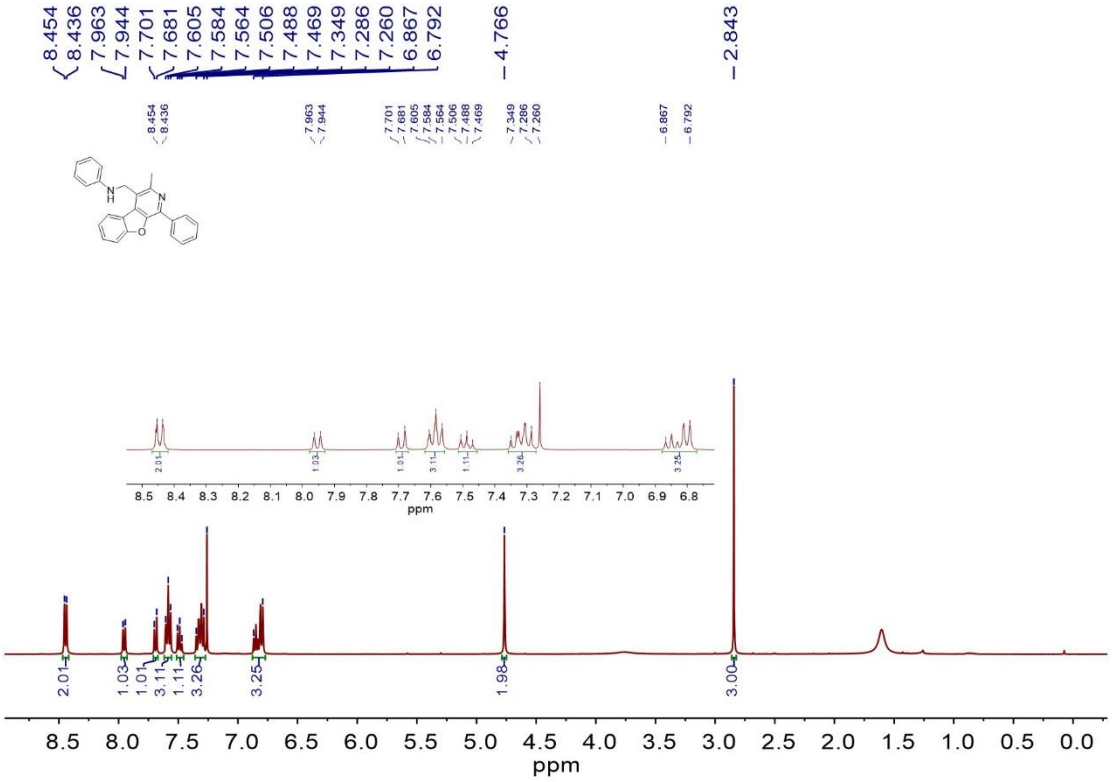


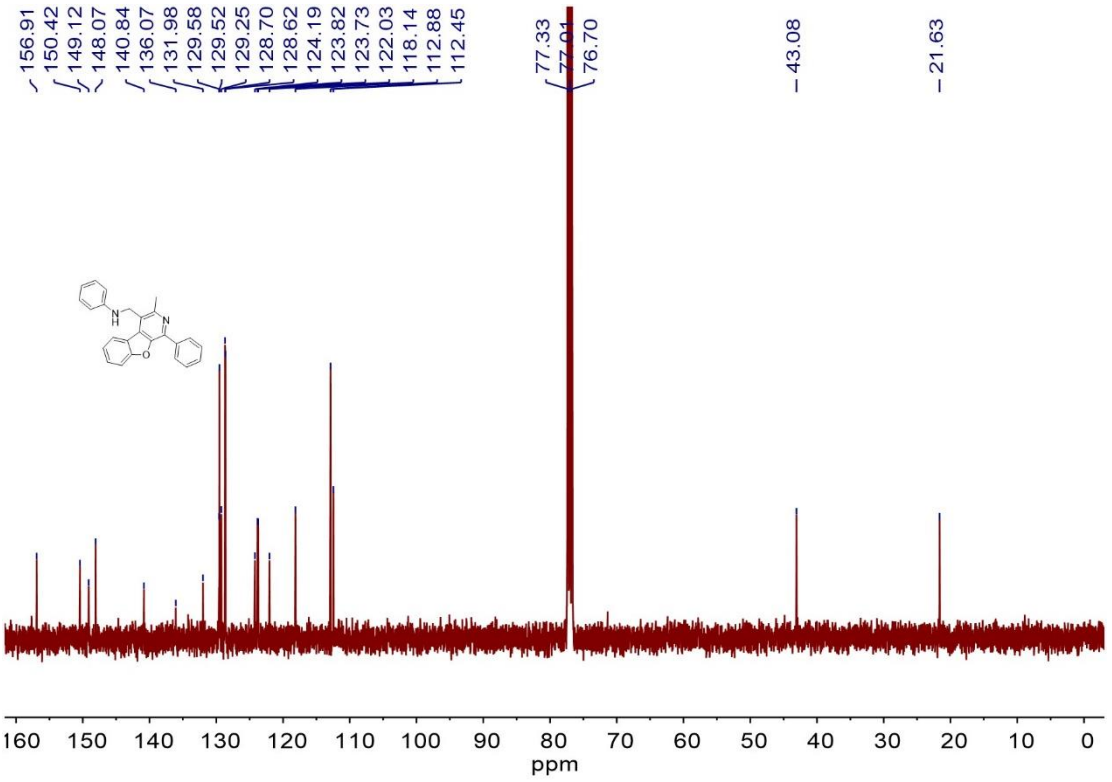
N-(4-iodophenyl)-3-methyl-N,1-diphenylbenzofuro[2,3-*c*]pyridine-4-carboxamide (6)



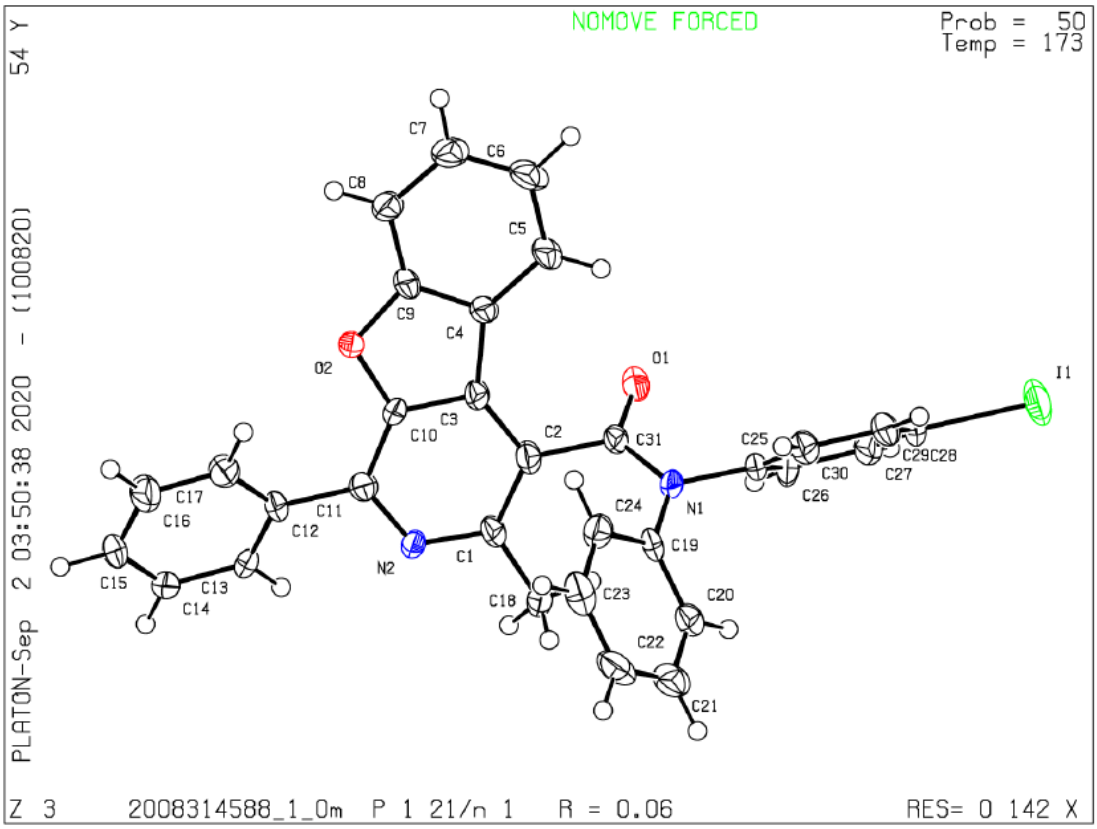


N-((3-methyl-1-phenylbenzofuro[2,3-*c*]pyridin-4-yl)methyl)aniline (7)





Crystal Structure and data for compound 6



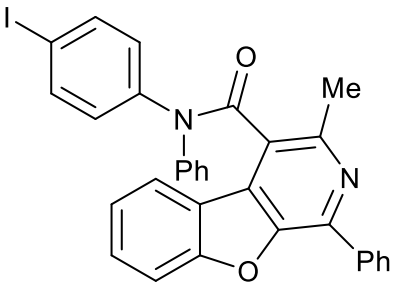


Table 1. Crystal data and structure refinement for compound **6**.

Identification code	2008314588_1_0m	
Empirical formula	C31 H21 I N2 O2	
Formula weight	580.40	
Temperature	173.0 K	
Wavelength	1.34139 Å	
Crystal system	Monoclinic	
Space group	P 1 21/n 1	
Unit cell dimensions	a = 10.3944(5) Å	a= 90 °.
	b = 10.9292(5) Å	b= 98.850(3) °.
	c = 21.8609(11) Å	g = 90 °.
Volume	2453.9(2) $Å^3$	
Z	4	
Density (calculated)	1.571 Mg/m^3	
Absorption coefficient	7.032 mm^{-1}	
F(000)	1160	
Crystal size	0.08 x 0.06 x 0.06 mm^3	
Theta range for data collection	3.560 to 54.947 °.	
Index ranges	-10<=h<=12, -13<=k<=13, -26<=l<=26	
Reflections collected	24560	
Independent reflections	4517 [R(int) = 0.0841]	
Completeness to theta = 53.594 °	96.5 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	0.7508 and 0.4957	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	4517 / 0 / 326	
Goodness-of-fit on F^2	1.035	
Final R indices [I>2sigma(I)]	R1 = 0.0585, wR2 = 0.1201	
R indices (all data)	R1 = 0.0973, wR2 = 0.1380	
Extinction coefficient	n/a	
Largest diff. peak and hole	1.935 and -0.838 $e.Å^{-3}$	