A Three-Component Synthesis of Aryl(heteroaryl)acylamides

Supporting information

(part 1)

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Contents:

Synthesis and characterization of 1,1-difluorostyrenes. 1,1-Difluorostyrenes were obtained using a slightly modified procedure of Fuqua et al.[1] A solution of a carbonyl compound (10 mmol) and triphenylphosphine (12 mmol, 3.15 g) in dry *N,N*-dimethylformamide (7 mL) was prepared in a Schlenk flask in Ar atmosphere. At 100 °C, solid $ClCF_2CO_2Na$ (15 mmol, 2.29 g) was added portionwise over 30 min (**Caution:** too quick addition of $ClCF_2CO_2Na$ can result in an exothermic reaction, decomposition of the product and even loss of some material due to too fast evolution of CO_2). After evolution of carbon dioxide ceased (about 15 – 20 min after the addition of all $ClCF_2CO_2Na$), the reaction mixture was cooled down and poured into a separation funnel containing hexanes (150 ml). The organic phase was washed with water (150 mL), 30 % H_2O_2 (30 mL) and brine (3 x 100 mL), dried (Na_2SO_4) and evaporated. The product was isolated by column chromatography on silica gel using hexanes or hexanes–AcOEt 10:1 as eluent. Preparation and characterization of compounds **2a**, **2d**, **2f** and **2i** has been described by us recently.[2] Characterization data for the remaining 1,1-difluorostyrenes **2** is given below.

*1,1-Difluoro-2-(4-tert-butylphenyl)ethene (**2b**),*[3] obtained in 1.43 g (73%) yield as colourless liquid. IR (film) ν_{max}/cm^{-1} 2965, 1732, 1348, 1251, 1168, 940, 844. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.35 (9H, s), 5.27 (dd, $^3J_{HF}$ = 26.4 Hz, 3.76 Hz), 7.30 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.39 (2H, d, $^3J_{HH}$ = 8.4 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 31.2, 34.5, 81.8 (dd, $^2J_{CF}$ = 28.9 Hz, 13.9 Hz), 125.6, 127.3 (dd, J_{CF} = 6.6 Hz, 3.7 Hz), 127.5 (m), 150.1 (m), 156.2 (dd, $^1J_{CF}$ = 297.7 Hz, 287.5 Hz). ^{19}F NMR (470 MHz, $CDCl_3$) δ -85.12 (1F, dd, $^2J_{FF}$ = 33.5 Hz, $^2J_{FH}$ = 4.0 Hz), -83.13 (1F, dd, $^2J_{FF}$ = 33.5 Hz, $^2J_{FH}$ = 26.6 Hz). Anal. calcd for $C_{12}H_{14}F_2$: C, 73.45; H, 7.19; F, 19.36. Found: C, 73.88; H, 6.88; F 18.91.

*1,1-Difluoro-2-(4-methoxyphenyl)ethene (**2c**),*[4] obtained in 0.83 g (49%) yield as colourless liquid. IR (film) ν_{max}/cm^{-1} 2959, 2839, 1734, 1613, 1516, 1299, 1248, 1167, 1037, 938, 839. ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.77 (3H, s), 5.18 (1H, dd, $^3J_{HF}$ = 26.4 Hz, 3.8 Hz), 6.86 (2H, dm, $^3J_{HH}$ = 8.8 Hz), 7.23 (2H, dm, $^3J_{HH}$ = 8.9 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.2, 81.5 (dd, $^2J_{CF}$ = 29.3 Hz), 114.2, 122.7 (t, $^3J_{CF}$ = 6.2 Hz), 128.8 (dd, $^4J_{CF}$ = 6.1 Hz, 3.6 Hz), 155.8 (dd, $^1J_{CF}$ = 296.3 Hz, 286.5 Hz), 158.6 (m). ^{19}F NMR (470 MHz, $CDCl_3$) δ -86.57 (1F, dd, $^2J_{FF}$ = 36.7 Hz, $^2J_{FH}$ = 2.9 Hz), - 84.79 (1F, dd, $^2J_{FF}$ = 36.7 Hz, $^2J_{FH}$ = 26.4 Hz). MS (EI 70 eV, *m/z*, %) 170 (M^+, 100), 155 (64), 127 (74). HRMS (EI) calcd for $C_9H_8OF_2$ (M^+), 170.0543; found, 170.0542. Anal. calcd for $C_9H_8OF_2$: C, 63.53; H, 4.74; F, 22.33. Found: C, 63.47; H, 4.73; F 22.23.

*1,1-Difluoro-2-(2-naphthyl)ethene (**2e**),*[4] obtained in 1.69 g (89%) yield as white solid, mp 58 – 60 °C. IR (CH_2Cl_2) ν_{max}/cm^{-1} 3059, 1728, 1333, 1256, 1223, 1200, 1168, 97, 935, 860, 742. ^{1}H NMR (400

MHz, $CDCl_3$) δ 5.43 (1H, dd, $^3J_{HF}$ = 26.2 Hz, 3.9 Hz), 7.46 (3H, m), 7.75 (1H, s), 7.79 (3H, s). ^{13}C NMR (100 MHz, $CDCl_3$) δ 82.4 (dd, $^2J_{CF}$ = 29.2 Hz, 14.1 Hz), 125.4 (dd, J_{CF} = 6.0 Hz, 2.0 Hz), 126.0, 126.4, 126.6 (m), 127.6, 127.8, 127.8 (m), 128.3, 132.3, 133.4, 156.5 (dd, $^1J_{CF}$ = 298.8 Hz, 288.7 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -83.71 (1F, d, $^2J_{FF}$ = 30.1 Hz), -82.01 (1F, d, $^2J_{FF}$ = 30.1 Hz). Anal. calcd for $C_{12}H_8F_2$: C, 75.78; H, 4.24; F, 19.98. Found: C, 75.80; H, 4.53; F 19.81.

*1,1-Difluoro-2-(4-trifluoromethylphenyl)ethene (**2g**),*[5] obtained in 0.60 g (29%) yield as colourless liquid. IR (film) ν_{max}/cm^{-1} 1732, 1623, 1418, 1328, 1253, 1173, 1129, 1070, 1020, 944, 852. ^1H NMR (400 MHz, $CDCl_3$) δ 5.32 (1H, dd, $^3J_{HF}$ = 25.7 Hz, 3.5 Hz), 7.43 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.58 (2H, d, $^3J_{HH}$ = 8.3 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 81.6 (dd, $^2J_{CF}$ = 29.2 Hz, 13.1 Hz), 124.0 (q, $^1J_{CF}$ = 271.6 Hz), 125.6 (q, $^3J_{CF}$ = 4.0 Hz), 127.7 (dd, $^4J_{CF}$ = 7.0 Hz, 4.0 Hz), 129.1 (qm, $^2J_{CF}$ = 33.2 Hz), 134.2 (m), 156.8 (dd, $^1J_{CF}$ = 299.8 Hz, 290.7 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -81.44 (1F, d, $^2J_{FF}$ = 26.3 Hz), -79.82 (1F, d, $^2J_{FF}$ = 26.3 Hz), -62.76 (3F, s).

*1,1-Difluoro-2-(4-trifluoromethylphenyl)propene (**2h**),*[6] obtained in 0.58 g (26%) yield as colourless liquid. IR (film) ν_{max}/cm^{-1} 2940, 1728, 1620, 1328, 1246, 1170, 1129, 1080, 842. ^1H NMR (400 MHz, $CDCl_3$) δ 1.99 (3H, t, $^4J_{HF}$ = 3.4 Hz), 7.47 (2H, d, $^3J_{HH}$ = 8.0 Hz), 7.60 (2H, d, $^3J_{HH}$ = 8.2 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 12.9, 87.0 (dd, $^2J_{CF}$ = 23.6 Hz, 13.8 Hz), 124.1 (q, $^1J_{CF}$ = 272.0 Hz), 125.3 (q, $^3J_{CF}$ = 3.7 Hz), 127.8 (dd, $^4J_{CF}$ = 4.9 Hz, 3.3 Hz), 129.2 (q, $^2J_{CF}$ = 32.3 Hz), 138.6 (m), 153.9 (dd, $^1J_{CF}$ = 292.0 Hz, 287.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -88.85 (1F, d, $^2J_{FF}$ = 38.4 Hz), -88.88 (1F, $^2J_{FF}$ = 38.4 Hz), -62.75 (3F, s). MS (EI 70 eV, *m/z*, %) 222 (M^+, 100), 203 (19), 191 (42), 189 (50), 173 (50), 151 (37), 145 (39), 133 (27). Anal. calcd for $C_{10}H_7F_5$: C, 54.06; H, 3.18; F, 42.76. Found: C, 53.94; H, 2.94; F, 42.56.

*1,1,3,3,3-Pentafluoro-2-(4-tert-butylphenyl)propene (**2j**),* obtained in 1.56 g (59%) as colourless liquid. IR (film) ν_{max}/cm^{-1} 2968, 1356, 1256, 1174, 1134, 1013, 957, 834, 713, 632, 561. ^1H NMR (400 MHz, $CDCl_3$) δ 1.33 (9H, s), 7.26 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7,43 (2H, $^3J_{HH}$ = 8.2 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 31.4, 34.9, 90.0 (m), 123.1, 124.2 (qdd, $^1J_{CF}$ = 271.6 Hz, $^3J_{CF}$ = 11.2 Hz, 6.0 Hz), 125.9, 129.8, 152.7, 156.4 (ddq, $^1J_{CF}$ = 306.1 Hz, 292.3 Hz, $^3J_{CF}$ = 3.5 Hz). ^{19}F NMR (470 MHz, $CDCl_3$) δ -59.30 (^3F, dd, $^4J_{FF}$ = 24.3 Hz, 11.1 Hz), -75,98 (1F, dq, $^2J_{FF}$ = 12.49 Hz, $^4J_{FF}$ = 24.1 Hz), -77.91 (1F, m). MS (EI 70 eV, m/z, %) 264 (M+, 32), 250 (24), 249 (100), 241 (14), 221 (44), 41 (32). HRMS (EI) calcd for $C_{13}H_{13}F_5$ (M^+), 264.0937; found, 264.0946. Anal. calcd for $C_{13}H_{13}F_5$: C, 59.09; H, 4.96; F, 35.95. Found: C, 59.04; H, 4.92; F, 36.02.

Characterization data for α-aryl-α-heteroarylamides 3, 5, 9, 10, 11

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-(4-methylphenyl)amide (**3a**).* Colourless crystals (204 mg, 89%), mp 184–186 °C (toluene–CH_2Cl_2). R_f 0.36 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3176, 3026, 2918, 2858, 1678, 1608, 1555, 1521, 1437, 1310, 810, 776, 474. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.00 (3H, s), 2.26 (3H, s), 2.32 (3H, s), 4.65 (1H, d, $^2J_{HH}$ = 17.1 Hz), 4.74 (1H, d, $^2J_{HH}$ = 17.1 Hz), 5.66 (1H, s), 6.69 (2H, m), 7.05 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.14 (3H, m), 7.32 (1H, t, $^3J_{HH}$ = 7.5 Hz), 7.47 (4H, m), 7.53 (1H, t, $^3J_{HH}$ = 7.1 Hz), 7.68 (1H, d, $^3J_{HH}$ = 8.1 Hz), 7.79 (1H, d, $^3J_{HH}$ = 8.1 Hz), 8.39 (1H, d, $^3J_{HH}$ = 8.5 Hz), 12.06 (1H, s). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.8, 12.7, 20.8, 46.2, 46.7, 119.9, 123.4, 124.0, 125.3, 125.5, 125.8, 126.0, 126.7, 127.6, 128.2, 128.6, 128.7, 129.2, 131.4, 131.8, 133.2, 134.0, 134.1, 135.6, 136.2, 143.5, 167.0. HRMS (ESI) calcd for $C_{31}H_{30}N_3O$ ([M+H]$^+$), 460.2389; found, 460.2390. Anal. calcd for $C_{31}H_{29}N_3O$: C, 81.02; H, 6.36; N, 9.14. Found: C, 81.05; H, 6.11; N 9.06.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-tert-butylphenyl)acetic acid N-(4-methylphenyl)amide (**3b**).* Pale yellow oil (194 mg, 83%). R_f 0.49 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3186, 3029, 2962, 2866, 1682, 1610, 1554, 1514, 1442, 1314, 1267, 817, 730. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.32 (9H, s), 2.06 (3H, s), 2.34 (3H, s), 2.35 (3H, s), 4.87 (1H, s), 4.95 (2H, AB, $^2J_{HH}$ = 17.1 Hz), 6.86 (2H, m), 7.15 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.25 (3H, m), 7.32 (4H, m), 7.60 (2H, d, $^3J_{HH}$ = 8.3 Hz), 12.21 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.7, 12.6, 20.8, 31.2, 34.3, 46.6, 50.6, 119.7, 123.0, 125.55, 125.6, 127.47, 127.49, 128.7, 129.1, 131.5, 133.1, 134.7, 135.8, 136.1, 143.2, 150.0, 167.1. HRMS (ESI) calcd for $C_{31}H_{36}N_3O$ ([M+H]$^+$), 466.2858; found, 466.2870.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-methoxyphenyl)acetic acid N-(4-methylphenyl)amide (**3c**).* Colourless crystals (50 mg, 23%), mp 144–146 °C (isooctane–CH_2Cl_2). R_f 0.22 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3024, 2918, 2858, 1675, 1609, 1557, 1509, 1439, 1318, 1254, 1175, 1106, 1036, 821, 726. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.01 (3H, s), 2.28 (3H, s), 2.29 (3H, s), 3.73 (3H, s), 4.93 (3H, m), 6.75 (2H, d, $^3J_{HH}$ = 8.7 Hz), 6.80 (2H, m), 7.08 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.22 (5H, m), 7.51 (2H, d, $^3J_{HH}$ = 8.3 Hz), 11.92 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.8, 12.4, 20.8, 46.9, 49.8, 55.3, 114.2, 114.3, 119.8, 119.9, 125.7, 127.7, 128.9, 129.0, 129.1, 129.2, 129.2, 133.4, 136.1, 143.3, 159.0, 167.1. HRMS (ESI) calcd for $C_{28}H_{30}N_3O_2$ ([M+H]$^+$), 440.2338; found, 440.2333. Anal. calcd for $C_{28}H_{29}N_3O_2$: C, 76.51; H, 6.65; N, 9.56. Found: C, 76.39; H, 6.88; N 9.52.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-methoxycarbonylphenyl)acetic acid N-(4-methylphenyl)amide (**3d**)*. Colourless crystals (163 mg, 70%), mp 137–138 °C (isooctane–CH_2Cl_2). R_f 0.29 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3242, 3185 3117, 3029, 2950, 2921, 2857, 1723, 1682, 1608, 1551, 1513, 1436, 1279, 1180, 1108, 1020, 818, 734. ^{1}H NMR (200 MHz, $CDCl_3$) δ 2.03 (3H, s), 2.28 (3H, s), 2.30 (3H, s), 3.86 (3H, s), 4.84 (1H, s), 4.85 (2H, AB, $^2J_{HH}$ = 17.3 Hz), 6.78 (2H, m), 7.08 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.19 (3H, m), 7.38 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.49 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.88 (2H, d, $^3J_{HH}$ = 8.3 Hz), 12.12 (1H, s). ^{13}C NMR (50 MHz, $CDCl_3$) δ 8.7, 12.7, 20.8, 46.6, 50.9, 52.0, 119.8, 123.4, 125.5, 127.7, 127.9, 128.8, 129.1, 129.2, 129.8, 131.9, 133.4, 135.5, 135.8, 142.2, 142.6, 166.1, 166.6. MS (EI 70 eV, *m/z*, %) 334 (100), 243 (80), 184 (24), 91 (31). HRMS (ESI) calcd for $C_{29}H_{30}N_3O_3$ ([M+H]$^+$), 468.2287; found, 468.2281. Anal. calcd for $C_{29}H_{29}N_3O_3$: C, 74.50; H, 6.25; N, 8.99. Found: C, 74.64; H, 6.12; N 9.06.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N,N-diethylamide (**5a**)*. Pale yellow oil (160 mg, 75%). R_f 0.20 (AcOEt). IR (neat) ν_{max}/cm^{-1} 3060, 2970, 2929, 1643, 1427, 1360, 1309, 1218, 1136, 1079, 792, 728. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.01 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.21 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.87 (3H, s), 2.21 (3H, s), 3.08 (2H, m), 3.33 (1H, dq, $^2J_{HH}$ = 13.5, $^3J_{HH}$ = 7.0 Hz), 3.61 (1H, dq, $^2J_{HH}$ = 13.5 Hz, $^3J_{HH}$ = 6.9 Hz), 4.77 (1H, d, $^2J_{HH}$ = 17.5 Hz), 5.42 (1H, d, $^2J_{HH}$ = 17.5 Hz), 6.12 (1H, s), 6.18 (2H, d, $^3J_{HH}$ = 7.5 Hz), 6.75 (2H, m), 6.89 (1H, d, $^3J_{HH}$ = 7.3 Hz), 7.14 (1H, t, $^3J_{HH}$ = 7.6 Hz), 7.31 (1H, d, $^3J_{HH}$ = 7.1 Hz), 7.39 (1H, t, $^3J_{HH}$ = 6.9 Hz), 7.45 (2H, m), 7.65 (1H, d, $^3J_{HH}$ = 7.8 Hz), 8.09 (1H, d, $^3J_{HH}$ = 8.3 Hz). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.8, 12.8, 14.1, 40.4, 42.3, 47.7, 48.0, 123.8, 124.2, 124.8, 124.9, 125.5, 125.8, 126.1, 126.5, 127.7, 128.3, 128.7, 131.6, 132.0, 133.9, 136.2, 143.0, 169.4. MS (EI 70 eV, *m/z*, %) 425 (M$^+$, 13), 325 (100), 233 (20), 91 (25). HRMS (EI) calcd for $C_{28}H_{31}N_3O$ (M$^+$), 425.2467; found, 425.2463.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-tert-butylphenyl)acetic acid N,N-diethylamide (**5b**)*. Pale yellow oil (174 mg, 81%). R_f 0.25 (AcOEt). IR (neat) ν_{max}/cm^{-1} 3026, 2964, 2866, 1646, 1493, 1452, 1427, 1362, 1310, 1249, 1221, 1133, 809, 745, 723. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.04 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.15 (3H, m), 1.16 (9H, s), 1.88 (3H, s), 2.19 (3H, s), 3.16 (2H, m), 3.30 (1H, dq, $^2J_{HH}$ = 13.6 Hz, $^3J_{HH}$ = 7.0 Hz), 3.52 (1H, dq, $^2J_{HH}$ = 13.6 Hz, $^3J_{HH}$ = 7.1 Hz), 4.86 (1H, d, $^2J_{HH}$ = 17.3 Hz), 5.40 (1H, d, $^2J_{HH}$ = 17.5 Hz), 5.56 (1H, bs), 6.54 (2H, m), 7.06 (3H, m), 7.10 (4H, s). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.9, 12.5, 12.8, 14.2, 31.2, 34.2, 40.4, 42.3, 47.9, 49.5, 124.2, 125.3, 125.4, 126.6, 127.9, 128.0, 131.6, 133.0, 136.8, 143.6, 149.8, 168.8. HRMS (ESI) calcd for $C_{28}H_{38}N_3O$ ([M+H]$^+$), 432.3015; found, 432.3020.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-methoxyphenyl)acetic acid N,N-diethylamide (**5c**).* Pale yellow oil (73 mg, 36%). R_f 0.16 (AcOEt). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2969, 2929, 1644, 1512, 1431, 1304, 1250, 1178, 1033, 811, 731. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.00 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.14 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.93 (3H, s), 2.20 (3H, s), 3.14 (2H, m), 3.31 (1H, dq, $^2J_{HH}$ = 13.6 Hz, $^3J_{HH}$ = 7.0 Hz), 3.48 (1H, dq, $^2J_{HH}$ = 13.6 Hz, $^3J_{HH}$ = 7.0 Hz), 3.66 (3H, s), 4.90 (1H, d, $^2J_{HH}$ = 17.3 Hz), 5.30 (1H, d, $^2J_{HH}$ = 17.2 Hz), 5.51 (1H, bs), 6.60 (2H, m), 6.63 (2H, d, $^3J_{HH}$ = 8.7 Hz), 7.07 (2H, d, $^3J_{HH}$ = 8.6 Hz), 7.12 (3H, m). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.9, 12.3, 12.8, 14.2, 40.5, 42.4, 47.8, 48.7, 55.1, 114.0, 124.4, 125.5, 126.8, 128.2, 129.5, 131.5, 136.6, 137.5, 143.5, 158.7, 168.7. HRMS (ESI) calcd for $C_{25}H_{32}N_3O_2$ ([M+H]$^+$), 406.2495; found, 406.2496.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-methoxycarbonylphenyl)acetic acid N,N-diethylamide (**5d**)*. Pale yellow oil (22 mg, 10%). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2925, 1721, 1650, 1432, 1280, 1110, 758. ^{1}H NMR (400 MHz, $CDCl_3$) δ 0.95 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.13 (3H, t, $^3J_{HH}$ = 7.1 Hz), 1.98 (3H, s), 2.19 (3H, s), 3.08 (2H, m), 3.32 (1H, m), 3.44 (1H, m), 3.87 (3H, s), 4.91 (1H, d, $^2J_{HH}$ = 17.3 Hz), 5.08 (1H, d, $^2J_{HH}$ = 17.5 Hz), 5.37 (1H, s), 6.65 (2H, m), 7.13 (3H, m), 7.20 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.79 (2H, d, $^3J_{HH}$ = 8.3 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 9.0, 12.76, 12.80, 14.2, 40.6, 42.3, 47.5, 49.60, 52.0, 124.3, 124.8, 125.5, 127.0, 128.4, 128.6, 129.0, 129.8, 136.6, 141.7, 142.5, 166.7, 168.0. HRMS (ESI) calcd for $C_{26}H_{32}N_3O_3$ ([M+H]$^+$), 434.2444; found, 434.2437.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-benzylamide (**9a**).* Pale yellow oil (125 mg, 54%). R_f 0.17 (hexanes–AcOEt 2:1). IR (neat) ν_{max}/cm^{-1} 3201, 3030, 2918, 1667, 1598, 1550, 1496, 1435, 1354, 1306, 1219, 1029, 778, 725, 695. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.99 (3H, s), 2.23 (3H, s), 4.46 (2H, m), 4.71 (2H, AB, $^2J_{HH}$ = 17.0 Hz), 5.62 (1H, s), 6.71 (2H, m), 7.12 (3H, m), 7.16–7.26 (5H, m), 7.31 (1H, t, $^3J_{HH}$ = 7.7 Hz), 7.40 (1H, d, $^3J_{HH}$ = 7.1 Hz), 7.48 (2H, m), 7.69 (1H, d, $^3J_{HH}$ = 8.1 Hz), 7.79 (1H, d, $^3J_{HH}$ = 7.8 Hz), 8.30 (1H, d, $^3J_{HH}$ = 8.4 Hz), 9.44 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.8, 12.8, 43.4, 46.4, 46.7, 123.2, 123.9, 125.3, 125.6, 125.8, 126.1, 126.6, 126.9, 127.3, 127.5, 128.2, 128.3, 128.6, 128.7, 131.7, 131.8, 133.9, 134.0, 135.8, 138.6, 143.3, 169.5. MS (EI 70 eV, *m/z*, %) 459 (M$^+$, 22), 326 (100), 235 (81), 91 (41). HRMS (EI) calcd for $C_{31}H_{29}N_3O$ (M$^+$), 459.2311; found, 459.2304.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-tert-butylamide (**9b**).* Colourless crystals (172 mg, 81%), mp 161–163 °C (isooctane–CH_2Cl_2). R_f 0.20 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3214, 3059, 2964, 2920, 2868, 1946, 1809, 1670, 1565, 1453, 1434, 1390, 1362, 1301, 1224,

1030, 793, 779, 728, 693, 450. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.32 (9H, s), 1.97 (3H, s), 2.24 (3H, s), 4.71 (2H, s), 5.44 (1H, s), 6.66 (2H, m), 7.11 (3H, m), 7.32 (1H, t, $^{3}J_{HH}$ = 7.8 Hz), 7.42 (2H, m), 7.47 (1H, tm, $^{3}J_{HH}$ = 6.9 Hz), 7.65 (1H, d, $^{3}J_{HH}$ = 8.1 Hz), 7.76 (1H, d, $^{3}J_{HH}$ = 7.6 Hz), 8.24 (1H, d, $^{3}J_{HH}$ = 8.4 Hz), 9.16 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.8, 12.8, 28.5, 46.6, 46.9, 51.1, 123.0, 124.0, 125.3, 125.5, 125.6, 125.8, 126.5, 127.3, 127.9, 128.6, 128.6, 131.7, 131.9, 134.9, 134.5, 135.8, 143.9, 168.4. HRMS (ESI) calcd for $C_{28}H_{32}N_3O$ ($[M+H]^+$), 426.2545; found, 426.2547. Anal. calcd for $C_{28}H_{31}N_3O$: C, 79.02; H, 7.34; N, 9.87. Found: C, 79.13; H, 7.32; N 9.70.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-(2-pyridyl)amide (**9c**).* Colourless crystals (130 mg, 58%), mp 196–197 °C (isooctane–CH_2Cl_2). R_f 0.18 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 2918, 1661, 1575, 1548, 1429, 1303, 869, 781, 729. ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.03 (3H, s), 2.35 (3H, s), 4.63 (1H, d, $^{2}J_{HH}$ = 17.1 Hz), 4.76 (1H, d, $^{2}J_{HH}$ = 17.2 Hz), 6.73 (2H, m), 6.94 (1H, dd, $^{3}J_{HH}$ = 6.6 Hz, 5.1 Hz), 7.15 (3H, m), 7.34 (1H, t, $^{3}J_{HH}$ = 7.8 Hz), 7.47 (1H, t, $^{3}J_{HH}$ = 7.5 Hz), 7.53 (3H, m), 7.71 (1H, d, $^{3}J_{HH}$ = 8.2 Hz), 7.80 (1H, d, $^{3}J_{HH}$ = 8.0 Hz), 8.08 (1H, d, $^{3}J_{HH}$ = 8.4 Hz), 8.31 (1H, d, $^{3}J_{HH}$ = 3.9 Hz), 8.35 (1H, d, $^{3}J_{HH}$ = 8.6 Hz), 12.0 (1H, s). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.8, 12.9, 46.6, 46.7, 114.4, 119.4, 123.4, 123.7, 125.5, 125.6, 125.8, 126.4, 126.8, 127.6, 128.4, 128.7, 131.6, 132.1, 133.5, 134.1, 135.7, 137.8, 142.8, 148.0, 152.0, 168.0. HRMS (ESI) calcd for $C_{29}H_{27}N_4O$ ($[M+H]^+$), 447.2185; found, 447.2204.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N,O-dimethylhydroxamide (**9d**).* Pale yellow crystals (135 mg, 65%), mp 147–149 °C (toluene–CH_2Cl_2). R_f 0.28 (AcOEt). IR (KBr) ν_{max}/cm^{-1} 3060, 2919, 1674, 1598, 1427, 1377, 1173, 997, 794, 729. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.98 (3H, s), 2.23 (3H, s), 3.23 (3H, s), 3.36 (3H, s), 4.76 (1H, d, $^{2}J_{HH}$ = 17.1 Hz), 4.97 (1H, d, $^{2}J_{HH}$ = 16.1 Hz), 6.28 (1H, bs), 6.54 (2H, bs), 7.03 (3H, m), 7.25 (1H, t, $^{3}J_{HH}$ = 6.8 Hz), 7.29 (1H, d, $^{3}J_{HH}$ = 7.3 Hz), 7.43 (2H, m), 7.61 (1H, d, $^{3}J_{HH}$ = 7.9 Hz), 7.74 (1H, d, $^{3}J_{HH}$ = 8.4 Hz), 7.93 (1H, d, $^{3}J_{HH}$ = 7.6 Hz). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.9, 12.9, 32.6, 44.9, 47.3, 61.3, 123.1, 123.7, 125.2, 125.4, 125.6, 125.6, 126.4, 126.8, 126.9, 128.2, 128.8, 131.8, 132.2, 132.4, 133.9, 136.3, 142.5, 171.0. MS (EI 70 eV, *m/z*, %) 413 (M^+, 16), 353 (16), 325 (100), 233 (27), 91 (32). HRMS (EI) calcd for $C_{26}H_{27}N_3O_2$ (M^+), 413.2103; found, 413.2110. Anal. calcd for $C_{26}H_{27}N_3O_2$: C, 75.52; H, 6.58; N, 10.16. Found: C, 75.43; H, 6.61; N 10.05.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(2-naphthyl)acetic acid N,O-dimethylhydroxamide (**9e**).* Prepared from alkene **2e** (10.5 mmol, 2.00 g), N-oxide **1a** (12.6 mmol, 2.55 g) and N,O-dimethylhydroxylamine hydrochloride (12.6 mmol, 1.23 g) in the presence of NEt_3 (4.7 mL) in 3.59 g (82%) yield, pale yellow crystals, mp 52–54 °C (isooctane–CH_2Cl_2). R_f 0.18 (AcOEt). IR (KBr)

ν_{max}/cm^{-1} 3056, 2919, 1672, 1601, 1495, 1428, 1377, 1304, 1173, 998, 814, 734. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.98 (3H, s), 2.23 (3H, s), 3.20 (3H, s), 3.37 (3H, s), 4.85 (1H, d, $^2J_{HH}$ = 17.0 Hz), 4.99 (1H, d, $^2J_{HH}$ = 17.0 Hz), 5.66 (1H, s), 6.75 (2H, m), 7.08 (3H, m), 7.34 (1H, d, $^3J_{HH}$ = 8.4 Hz), 7.39 (2H, m), 7.56 (1H, s), 7.68 (3H, m). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.9, 12.8, 32.6, 47.2, 47.8, 61.2, 123.6, 125.6, 125.7, 125.8, 127.06, 127.09, 127.4, 127.6, 127.8, 128.1, 128.3, 132.2, 132.6, 133.2, 133.6, 136.4, 142.7, 170.0. HRMS (ESI) calcd for $C_{26}H_{28}N_3O_2$ ([M+H]$^+$), 414.2182 found, 414.2185. Anal. calcd for $C_{26}H_{27}N_3O_2$: C, 75.52; H, 6.58; N, 10.16. Found: C, 75.43; H, 6.56; N 10.14.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-(2-methylphenyl)amide (**9f**).* Colourless crystals (62 mg, 27%), mp 167–169 °C (isooctane–CH_2Cl_2). R_f 0.51 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3239, 3185, 3122, 3033, 2918, 1675, 1617, 1591, 1556, 1486, 1456, 1430, 1308, 1264, 794, 768, 728. ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.01 (3H, s), 2.30 (3H, s), 2.46 (3H, s), 4.70 (2H, AB, $^2J_{HH}$ = 17.1 Hz), 5.70 (1H, s), 6.71 (2H, m), 6.95 (1H, t, $^3J_{HH}$ = 7.4 Hz), 7.07 (1H, t, $^3J_{HH}$ = 7.7 Hz), 7.14 (4H, m), 7.33 (1H, t, $^3J_{HH}$ = 7.7 Hz), 7.42–7.57 (3H, m), 7.69 (1H, d, $^3J_{HH}$ = 8.1 Hz), 7.79 (1H, d, $^3J_{HH}$ = 8.0 Hz), 8.01 (1H, d, $^3J_{HH}$ = 8.0 Hz), 8.42 (1H, d, $^3J_{HH}$ = 8.5 Hz), 11.96 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.8, 12.6, 18.7, 46.5, 46.7, 121.6, 123.4, 123.9, 124.0, 125.3, 125.6, 125.8, 126.0, 126.3, 126.7, 127.6, 128.3, 128.4, 128.6, 128.7, 130.2, 131.4, 131.8, 134.10, 134.14, 135.6, 137.1, 143.5, 167.3. MS (EI 70 eV, *m/z*, %) 459 (M$^+$, 1), 326 (100), 235 (91), 91 (20). HRMS (EI) calcd for $C_{31}H_{29}N_3O$ (M$^+$), 459.2311; found, 459.2327. Anal. calcd for $C_{31}H_{29}N_3O$: C, 81.02; H, 6.36; N, 9.14. Found: C, 81.13; H, 6.32; N 9.11.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-(2-aminophenyl)amide (**9g**).* Colourless crystals (160 mg, 69%), mp 79–81 °C (isooctane–CH_2Cl_2). R_f 0.09 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3348, 3197, 3032, 2918, 2858, 1681, 1597, 1496, 1456, 1304, 1030, 779, 746. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.02 (3H, s), 2.26 (3H, s), 4.52 (2H, bs), 4.74 (2H, AB, $^2J_{HH}$ = 17.0 Hz), 5.76 (1H, s), 6.61 (1H, t, $^3J_{HH}$ = 7.6 Hz), 6.69 (1H, dd, $^3J_{HH}$ = 7.9 Hz, $^4J_{HH}$ = 0.8 Hz), 6.75 (2H, m), 6.95 (1H, td, $^3J_{HH}$ = 7.6 Hz, $^4J_{HH}$ = 1.1 Hz), 7.13 (4H, m), 7.29 (1H, d, $^3J_{HH}$ = 6.9 Hz), 7.33 (1H, t, $^3J_{HH}$ = 7.9 Hz), 7.50 (1H, t, $^3J_{HH}$ = 7.0 Hz), 7.55 (1H, t, $^3J_{HH}$ = 7.0 Hz), 7.73 (1H, d, $^3J_{HH}$ = 8.0 Hz), 7.83 (1H, d, $^3J_{HH}$ = 7.9 Hz), 8.32 (1H, d, $^3J_{HH}$ = 8.4 Hz), 9.49 (1H, s). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.9, 12.6, 47.0, 48.3, 116.1, 117.9, 123.2, 123.6, 123.7, 125.4, 125.8, 125.96, 125.98, 126.1, 126.8, 127.0, 127.6, 128.68, 128.70, 128.74, 131.7, 132.3, 133.4, 134.0, 135.4, 141.5, 143.1, 168.1. HRMS (ESI) calcd for $C_{30}H_{29}N_4O$ (M$^+$), 461.2341; found, 461.2338. Anal. calcd for $C_{30}H_{28}N_4O$: C, 78.23; H, 6.13; N, 12.16; Found: C, 77.95; H, 6.14; N 11.91.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(1-naphthyl)acetamide (**9h**)*. Colourless crystals (62 mg, 34%), mp 168–170 °C (isooctane–CH_2Cl_2). R_f 0.26 (AcOEt). IR (KBr) ν_{max}/cm^{-1} 3234, 3060, 2921, 1666, 1596, 1483, 1428, 1389, 1356, 1302, 1030, 899, 778, 722. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.98 (3H, s), 2.24 (3H, s), 4.64 (1H, AB, $^2J_{HH}$ = 17.1 Hz), 4.73 (1H, AB, $^2J_{HH}$ = 17.1 Hz), 5.52 (1H, s), 5.89 (1H, bs), 6.69 (2H, m), 7.11 (3H, m), 7.30 (1H, t, $^3J_{HH}$ = 7.8 Hz), 7.40 (1H, m), 7.45 (2H, m), 7.67 (1H, d, $^3J_{HH}$ = 8.04 Hz), 7.77 (1H, d, $^3J_{HH}$ = 7.6 Hz), 8.20 (1H, d, $^3J_{HH}$ = 8.2 Hz), 8.63 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.8, 12.7, 46.71, 46.73, 123.3, 123.6, 125.3, 125.6, 125.7, 126.1, 126.6, 127.4, 128.2, 128.6, 128.6, 131.6, 131.9, 133.6, 133.9, 135.7, 143.1, 171.9. MS (EI 70 eV, *m/z*, %) 369 (M^+, 24), 325 (100), 235 (91), 91 (74). HRMS (EI) calcd for $C_{24}H_{23}N_3O$ (M^+), 369.1841; found, 369.1845. Anal. calcd for $C_{24}H_{23}N_3O$: C, 78.02; H, 6.27; N, 11.37. Found: C, 77.76; H, 6.24; N 11.39.

*Amide **9i***. Colourless crystals (135 mg, 56%), mp 182–184 °C (isooctane–CH_2Cl_2). R_f 0.55 (AcOEt). IR (KBr) ν_{max}/cm^{-1} 3031, 2949, 2919, 2858, 1721, 1662, 1600, 1481, 1434, 1395, 1280, 1181, 1107, 1021, 755, 730. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.03 (3H, s), 2.20 (3H, s), 2.93–3.04 (2H, m), 3.62 (1H, m), 3.84 (1H, m), 3.88 (3H, s), 5.00 (2H, AB, $^2J_{HH}$ = 17.2 Hz), 5.39 (1H, s), 6.72 (2H, m), 7.00 (1H, t, $^3J_{HH}$ = 7.4 Hz), 7.13 (5H, m), 7.27 (2H, d, $^3J_{HH}$ = 9.0 Hz), 7.84 (2H, d, $^3J_{HH}$ = 8.1 Hz), 8.20 (1H, d, $^3J_{HH}$ = 8.0 Hz). ^{13}C NMR (125 MHz, $CDCl_3$) δ 9.0, 12.7, 27.9, 47.5, 47.9, 52.0, 52.2, 117.6, 124.0, 124.4, 124.5, 125.6, 127.2, 127.4, 128.4, 128.5, 128.8, 129.3, 129.9, 131.2, 136.2, 140.7, 141.6, 142.9, 166.6, 166.8. MS (EI 70 eV, *m/z*, %) 479 (M^+, 39), 333 (47), 243 (29), 227 (100), 91 (85). HRMS (EI) calcd for $C_{30}H_{29}N_3O_3$ (M^+), 479.2209; found, 479.2209. Anal. calcd for $C_{30}H_{29}N_3O_3$: C, 75.13; H, 6.10; N, 8.76. Found: C, 74.90; H, 6.07; N 8.78.

*2-(4,5-Dimethyl-1-p-tolylimidazol-2-yl)-2-(1-naphthyl)acetic acid N-(4-methylphenyl)amide (**9j**)*. Pale yellow crystals (230 mg, >95%), mp 158–159 °C (isooctane–CH_2Cl_2). R_f 0.48 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3039, 2920, 1682, 1609, 1550, 1514, 1429, 1314, 1254, 817, 794, 775. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.88 (3H, s), 2.27 (3H, s), 2.28 (3H, s), 2.32 (3H, s), 5.51 (1H, s), 6.11 (1H, bs), 6.65 (1H, bs), 7.06 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.14 (1H, bs), 7.24 (1H, bs), 7.28–7.41 (3H, m), 7.49 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.68 (2H, m), 7.75 (1H, d, $^3J_{HH}$ = 7.4 Hz), 7.87 (1H, d, $^3J_{HH}$ = 8.5 Hz), 11.59 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 9.1, 12.8, 20.8, 21.0, 46.3, 119.8, 123.9, 124.0, 125.3, 125.4, 126.0, 127.4, 127.9, 128.3, 129.2, 129.8, 130.0, 131.4, 131.8, 132.8, 133.2, 134.0, 134.6, 136.1, 138.9, 143.9, 167.3. HRMS (ESI) calcd for $C_{31}H_{30}N_3O$ ($[M+H]^+$), 460.2389; found, 460.2383. Anal. calcd for $C_{31}H_{29}N_3O$: C, 81.02; H, 6.36; N, 9.14. Found: C, 81.05; H, 6.34; N 9.11.

2-[5-(4-chlorophenyl)-1-n-propylimidazol-2-yl]-2-(1-naphthyl)acetic acid N-(4-methylphenyl)amide

*(**9k**)*. Colourless crystals (224 mg, 91%), mp 192–193 °C (isooctane–CH_2Cl_2). R_f 0.49 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3227, 3178, 3046, 2962, 1665, 1608, 1552, 1512, 1490, 1433, 1316, 1169, 1133, 1092, 1013, 836, 804, 776, 664. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.46 (3H, t, $^3J_{HH}$ = 7.4 Hz), 1.07 (1H, m), 1.30 (1H, m), 2.27 (3H, s), 3.62 (1H, m), 3.70 (1H, m), 5.98 (1H, s), 7.06 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.12 (1H, s), 7.24 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.38 (2H, d, $^3J_{HH}$ = 8.5 Hz), 7.41 (1H, m), 7.49 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.52 (1H, t, $^3J_{HH}$ = 7.5 Hz), 7.65 (2H, m), 7.79 (1H, d, $^3J_{HH}$ = 8.2 Hz), 7.87 (1H, d, $^3J_{HH}$ = 8.1 Hz), 8.60 (1H, d, $^3J_{HH}$ = 8.6 Hz), 11.22 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 10.7, 20.8, 23.8, 45.5, 46.9, 120.0, 123.5, 125.4, 125.9, 126.0, 126.1, 127.1, 128.4, 128.6, 128.9, 129.0, 129.2, 130.4, 131.7, 132.7, 133.4, 133.6, 134.2, 134.6, 135.8, 146.1, 166.8. MS (EI 70 eV, *m/z*, %) 493 (M^+, 2), 360 (100), 317 (30), 303 (14), 219 (10), 192 (12). HRMS (EI) calcd for $C_{31}H_{28}N_3OCl$ (M^+), 493.1921; found, 493.1933. Anal. calcd for $C_{31}H_{28}N_3OCl$: C, 75.37; H, 5.71; N, 8.51; Cl, 7.18. Found: C, 75.60; H, 5.92; N 8.53; Cl, 6.99.

*2-[5-(4-methoxyphenyl)-1-n-propylimidazol-2-yl]-2-(1-naphthyl)acetic acid N-(4-methylphenyl)amide (**9l**)*. Colourless crystals (222 mg, 91%), mp 187–188 °C (isooctane–CH_2Cl_2). R_f 0.38 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3227, 3175, 3042, 2963, 1668, 1609, 1552, 1509, 1477, 1315, 1251, 1177, 1032, 837, 803, 775. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.46 (3H, t, $^3J_{HH}$ = 7.4 Hz), 1.07 (1H, m), 1.30 (1H, m), 2.27 (3H, s), 3.61 (1H, m), 3.69 (1H, m), 3.82 (3H, s), 6.00 (1H, s), 6.93 (2H, d, $^3J_{HH}$ = 8.7 Hz), 7.06 (2H, m), 7.07 (1H, s), 7.22 (2H, d, $^3J_{HH}$ = 8.7 Hz), 7.41 (1H, t, $^3J_{HH}$ = 7.7 Hz), 7.51 (3H, m), 7.66 (2H, m), 7.78 (1H, d, $^3J_{HH}$ = 8.2 Hz), 7.87 (1H, d, $^3J_{HH}$ = 8.1 Hz), 8.62 (1H, d, $^3J_{HH}$ = 8.6 Hz), 11.38 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 10.8, 20.8, 23.8, 45.4, 46.8, 55.3, 114.2, 120.0, 122.0, 123.6, 125.0, 125.5, 125.9, 126.1, 127.1, 128.5, 128.9, 129.2, 130.7, 131.7, 133.5, 133.6, 133.7, 134.2, 135.9, 145.2, 159.8, 166.9. MS (EI 70 eV, *m/z*, %) 489 (M^+, 7), 356 (100), 314 (24), 299 (11), 188 (15). HRMS (EI) calcd for $C_{32}H_{31}N_3O_2$ (M^+), 489.2416; found, 489.2425. Anal. calcd for $C_{32}H_{31}N_3O_2$: C, 78.50; H, 6.38; N, 8.58. Found: C, 78.36; H, 6.40; N 8.60.

*2-(2-thiazolyl)-2-(1-naphthyl)acetic acid N-(4-methylphenyl)amide (**9m**)*. Pale yellow oil (30 mg, 17%). R_f 0.30 (hexanes–AcOEt 2:1). IR (neat) ν_{max}/cm^{-1} 3268, 3046, 2920, 1684, 1662, 1604, 1513, 1403, 1314, 1245, 1170, 1124, 1057, 789, 729. ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.29 (3H, s), 6.05 (1H, s), 7.08 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.28 (1H, d, $^3J_{HH}$ = 3.6 Hz), 7.42 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.48 (3H, m), 7.69 (1H, d, $^3J_{HH}$ = 7.6 Hz), 7.85 (3H, m), 8.11 (1H, m), 9.68 (1H, s). ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.8, 53.4, 120.0, 120.1, 123.6, 125.5, 126.0, 126.9, 127.1, 129.0, 129.2, 129.4, 131.3, 133.8, 134.0, 134.3, 135.3, 142.0, 166.9, 169.0. HRMS (ESI) calcd for $C_{22}H_{19}N_2OS$ ($[M+H]^+$), 359.1218; found, 359.1223.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-trifluoromethylphenyl)propionic acid N-(4-methylphenyl)amide (**10a**)*. Pale yellow oil (92 mg, 37%). R_f 0.72 (hexanes–AcOEt 1:1). IR (KBr) ν_{max}/cm^{-1} 3302, 3028, 2920, 2854, 2809, 1659, 1611, 1513, 1411, 1326, 1166, 1118, 1069, 1016, 814, 731. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.92 (3H, s), 1.98 (3H, s), 2.30 (3H, s), 2.32 (3H, s), 4.58 (2H, s), 6.65 (2H, m), 7.09 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.18 (3H, m), 7.34 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.44 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.48 (2H, d, $^3J_{HH}$ = 8.3 Hz), 12.93 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.9, 12.5, 20.9, 25.5, 47.9, 52.1, 120.1, 125.0, 125.1, 125.4 (q, $^3J_{CF}$ = 3.5 Hz), 126.2 (q, $^1J_{CF}$ = 208.5 Hz), 127.4, 127.5, 128.6, 129.29, 129.33 (q, $^2J_{CF}$ = 32.9 Hz), 130.3, 133.6, 135.6, 136.1, 146.5, 147.0, 169.9. ^{19}F NMR (470 MHz, $CDCl_3$) δ -62.59 (s). HRMS (ESI) calcd for $C_{29}H_{29}F_3N_3O$ ([M+H]$^+$), 492.2263; found, 492.2268. Anal. calcd for $C_{29}H_{28}F_3N_3O$: C, 70.86; H, 5.74; N, 8.55; F, 11.60. Found: C, 71.07; H, 5.97; N 8.74; F, 11.63.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(4-trifluoromethylphenyl)propionic acid N,N-diethylamide (**10b**)*. Pale yellow oil (83 mg, 36%). R_f 0.62 (hexanes–AcOEt 1:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2976, 2937, 1632, 1455, 1409, 1328, 1273, 1166, 1122, 1080, 1017, 841, 731. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.60 (3H, t, $^3J_{HH}$ = 6.9 Hz), 1.12 (3H, t, $^3J_{HH}$ = 6.9 Hz), 1.77 (3H, s), 1.95 (3H, s), 2.22 (3H, s), 2.72 (1H, dq, $^2J_{HH}$ = 14.5 Hz, $^3J_{HH}$ = 7.1 Hz), 3.06 (2H, m), 3.40 (1H, dq, $^2J_{HH}$ = 14.5 Hz, $^3J_{HH}$ = 7.1 Hz), 4.85 (1H, d, $^2J_{HH}$ = 17.6 Hz), 5.21 (1H, d, $^2J_{HH}$ = 17.6 Hz), 6.86 (2H, m), 7.21 (1H, m), 7.26 (2H, m), 7.54 (4H, m). ^{13}C NMR (125 MHz, $CDCl_3$) δ 11.7, 15.2, 15.5, 15.8, 33.0, 44.3, 46.1, 50.3, 56.1, 126.8 (q, $^1J_{CF}$ = 272.4 Hz), 127.1, 127.5 (q, $^3J_{CF}$ = 3.4 Hz), 128.0, 129.8, 131.0, 131.3 (m), 131.2, 134.9, 139.1, 148.3, 150.6, 173.6. ^{19}F NMR (470 MHz, $CDCl_3$) δ -62.56 (s). HRMS (ESI) calcd for $C_{26}H_{31}N_3OF_3$ ([M+H]$^+$), 458.2419; found, 458.2418.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(3-nitrophenyl)propionic acid N-(4-methylphenyl)amide (**10c**)*. Pale yellow oil (100 mg, 43%). R_f 0.33 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3028, 2923, 2859, 1675, 1610, 1530, 1406, 1349, 1312, 1106, 735. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.94 (3H, s), 2.03 (3H, s), 2.31 (3H, s), 2.33 (3H, s), 4.61 (2H, AB, $^2J_{HH}$ = 18.6 Hz), 6.59 (2H, m), 7.11 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.14 (3H, m), 7.34 (1H, t, $^3J_{HH}$ = 7.9 Hz), 7.48 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.62 (1H, dm, $^3J_{HH}$ = 7.8 Hz), 7.93 (1H, dm, $^3J_{HH}$ = 7.3 Hz), 8.05 (1H, t, $^4J_{HH}$ = 1.9 Hz), 12.92 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.9, 12.7, 20.9, 25.7, 47.8, 52.0, 120.1, 122.2, 122.6, 125.0, 125.1, 127.4, 128.6, 129.3, 129.5, 130.7, 133.2, 133.7, 135.2, 135.9, 144.6, 146.4, 148.1, 169.8. MS (EI 70 eV, *m/z*, %) 335 (77), 244 (100), 198 (24), 123 (14), 106 (27), 91 (97). HRMS (ESI) calcd for $C_{28}H_{29}N_4O_3$ ([M+H]$^+$), 469.2240; found, 469.2243.

*2-(4,5-Dimethyl-1-p-tolylimidazol-2-yl)-2-(3-nitrophenyl)propionic acid N-(4-methylphenyl)amide **(10d)***. Colourless crystals (117 mg, 33% from 0.75 mmol of **2i**), mp 171–173 °C (isooctane–CH_2Cl_2). R_f 0.45 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 2915, 1667, 1608, 1533, 1510, 1403, 1349, 1107, 1003, 823, 723. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.73 (3H, s), 1.92 (3H, s), 2.28 (3H, s), 2.30 (3H, s), 2.32 (3H, s), 5.91 (1H, d, $^3J_{HH}$ = 8.0 Hz), 6.72 (1H, d, $^3J_{HH}$ = 7.8 Hz), 6.91 (1H, d, $^3J_{HH}$ = 7.9 Hz), 7.14 (3H, m), 7.32 (1H, t, $^3J_{HH}$ = 8.0 Hz), 7.43 (1H, d, $^3J_{HH}$ = 7.8 Hz), 7.54 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.81 (1H, s), 8.00 (1H, d, $^3J_{HH}$ = 8.0 Hz), 13.03 (1H, s). ^{13}C NMR (100 MHz, $CDCl_3$) δ 9.2, 12.6, 20.9, 20.9, 25.3, 52.4, 120.1, 121.7, 122.2, 126.2, 127.9, 128.3, 128.9, 129.4, 129.5, 129.7, 129.9, 133.6, 133.8, 134.2, 136.1, 139.1, 145.5, 146.9, 148.1, 170.4. HRMS (ESI) calcd for $C_{28}H_{29}N_4O_3$ ([M+H]$^+$), 469.2240; found, 469.2243. Anal. calcd for $C_{28}H_{28}N_4O_3$: C, 71.78; H, 6.02; N, 11.96. Found: C, 71.69; H, 5.94; N, 12.02.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(3-nitrophenyl)propionic acid N,O-dimethylhydroxamide **(10e)***. Pale yellow oil (46 mg, 22%). R_f 0.38 (AcOEt). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3033, 2933, 2864, 1649, 1529, 1452, 1410, 1350, 1308, 1178, 1108, 994, 807, 737, 690. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.03 (3H, s), 2.07 (3H, s), 2.29 (3H, s), 2.80 (3H, s), 3.25 (3H, s), 4.85 (2H, AB, $^2J_{HH}$ = 17.6 Hz), 6.80 (2H, m), 7.19 (3H, m), 7.38 (2H, m), 8.00 (1H, dm, $^3J_{HH}$ = 7.5 Hz), 8.03 (1H, m). ^{13}C NMR (125 MHz, $CDCl_3$) δ 9.1, 12.8, 27.5, 33.3, 47.7, 52.9, 60.2, 121.7, 123.4, 124.6, 125.6, 127.2, 128.35, 128.45, 132.2, 134.0, 135.6, 144.8, 145.6, 147.8, 168.8. MS (EI 70 eV, *m/z*, %) 422 (M$^+$, 15), 334 (100), 191 (11), 91 (81). HRMS (EI) calcd for $C_{23}H_{26}N_4O_4$ (M$^+$), 422.1954; found, 422.1954.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(3-nitrophenyl)propionic acid N-(3-chloro-4-methoxyphenyl)amide **(10f)***. Yellow oil (113 mg, 44%). R_f 0.19 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2924, 1673, 1604, 1529, 1501, 1405, 1349, 1283, 1063, 1022, 807, 734, 696. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.94 (3H, s), 2.03 (3H, s), 2.33 (3H, s), 3.86 (3H, s), 4.61 (2H, AB, $^2J_{HH}$ = 17.7 Hz), 6.59 (2H, m), 6.86 (1H, d, $^3J_{HH}$ = 8.9 Hz), 7.14 (3H, m), 7.35 (1H, t, $^3J_{HH}$ = 7.9 Hz), 7.45 (1H, dd, $^3J_{HH}$ = 8.8 Hz, $^4J_{HH}$ = 2.4 Hz), 7.59 (1H, d, $^3J_{HH}$ = 7.7 Hz), 7.71 (1H, d, $^4J_{HH}$ = 2.4 Hz), 7.93 (1H, d, $^3J_{HH}$ = 8.2 Hz), 8.03 (1H, s), 13.3 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 8.9, 12.6, 25.6, 47.9, 51.9, 56.4, 112.2, 119.6, 122.3, 122.5, 124.9, 125.2, 126.7, 127.4, 128.6, 128.9, 129.4, 130.6, 132.3, 133.1, 135.1, 144.4, 146.2, 148.1, 151.7, 169.9. MS (EI 70 eV, *m/z*, %) 335 (85), 244 (100), 198 (27), 91 (73). HRMS (ESI) calcd for $C_{28}H_{28}N_4O_4Cl$ ([M+H]$^+$), 519.1799; found, 519.1802.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(3-nitrophenyl)propionic acid N-(methoxycarbonylmethyl)amide **(10g)***. Pale yellow oil (97 mg, 43%). R_f 0.40 (AcOEt). IR (CH_2Cl_2)

ν_{max}/cm^{-1} 3348, 2993, 2925, 2860, 1752, 1667, 1529, 1407, 1350, 1208, 1019, 736, 696. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.95 (3H, s), 2.00 (3H, s), 2.28 (3H, s), 3.74 (3H, s), 3.89 (1H, dd, $^2J_{HH}$ = 18.2 Hz, $^3J_{HH}$ = 5.3 Hz), 4.00 (1H, dd, $^2J_{HH}$ = 18.2 Hz, $^3J_{HH}$ = 5.2 Hz), 4.67 (2H, AB, $^2J_{HH}$ = 17.8 Hz), 6.56 (2H, m), 7.12 (3H, m), 7.30 (1H, t, $^3J_{HH}$ = 8.1 Hz), 7.60 (1H, dm, $^3J_{HH}$ = 7.8 Hz), 7.88 (1H, dm, $^3J_{HH}$ = 8.2 Hz), 8.04 (1H, t, $^4J_{HH}$ = 2.1 Hz), 9.89 (1H, t, $^3J_{HH}$ = 4.7 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.9, 12.7, 26.5, 41.6, 47.7, 51.8, 52.2, 122.0, 122.7, 124.9, 125.2, 127.2, 128.4, 129.1, 131.4, 133.3, 135.3, 144.3, 145.8, 147.9, 170.1, 172.5. HRMS (ESI) calcd for $C_{24}H_{27}N_4O_5$ ([M+H]$^+$), 451.1981; found, 451.1976.

*2-(1-Benzyl-4,5-dimethylimidazol-2-yl)-2-(3-nitrophenyl)propionic acid N-(2-fluoro-6-trifluoromethylbenzyl)amide (**10h**)*. Pale yellow crystals (197 mg, 71%), mp 100–102 °C (toluene–CH_2Cl_2). R_f 0.23 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2925, 1670, 1530, 1350, 1318, 1167, 1124, 803, 725. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.89 (3H, s), 1.93 (3H, s), 2.18 (3H, s), 4.56 (1H, dd, $^2J_{HH}$ = 14.7 Hz, $^3J_{HH}$ = 5.1 Hz), 4.59 (2H, s), 4.72 (1H, dd, $^2J_{HH}$ = 14.6 Hz, $^3J_{HH}$ = 5.6 Hz), 6.55 (2H, m), 7.12 (3H, m), 7.30 (2H, m), 7.42 (1H, m), 7.50 (1H, d, $^3J_{HH}$ = 7.8 Hz), 7.58 (1H, dm, $^3J_{HH}$ = 7.8 Hz), 7.88 (1H, dm, $^3J_{HH}$ = 8.2 Hz), 7.97 (1H, t, $^4J_{HH}$ = 2.1 Hz), 10.42 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.8, 12.4, 25.8, 33.9, 47.6, 51.7, 119.5 (d, $^2J_{CF}$ = 23.3 Hz), 121.8 (m), 121.9, 122.2, 122.6, 123.9 (d, $^2J_{CF}$ = 19.0 Hz), 124.9, 127.2, 127.8 (q, $^1J_{CF}$ = 206.0 Hz), 128.4, 129.1, 130.9 (m), 131.0, 133.2, 135.3, 144.5, 146.1, 147.9, 162.0 (d, $^1J_{CF}$ = 250.0 Hz), 171.5. ^{19}F NMR (470 MHz, $CDCl_3$) δ -112.74 (1F, dd, $^3J_{FH}$ = 8.6 Hz, $^4J_{HH}$ = 6.2 Hz), -58.84 (3F, s). MS (EI 70 eV, *m/z*, %) 555 ([M+H]$^+$, 33), 335 (98), 244 (99), 202 (29), 177 (52), 91 (100). HRMS (ESI) calcd for $C_{29}H_{27}F_4N_4O_3$ ([M+H]$^+$), 555.2019; found, 555.2023. Anal. calcd for $C_{29}H_{26}F_4N_4O_3$: C, 62.81; H, 4.73; F, 13.70; N, 10.10. Found: C, 62.92; H, 4.86; F, 13.66; N, 10.01.

*2-(4,5-Dimethyl-1-p-tolylimidazol-2-yl)-2-(4-tert-butylphenyl)-3,3,3-trifluoropropionic acid N-(4-methylphenyl)amide (**10i**)*. Colourless crystals (220 mg, 55% from 0.75 mmol of **2j**), mp 178–180 °C (isooctane–CH_2Cl_2). R_f 0.72 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 2961, 2869, 1908, 1690, 1610, 1555, 1513, 1445, 1399, 1240, 1211, 1157, 1110, 1046, 944, 822, 665, 564, 514. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.26 (9H, s), 1.65 (3H, s), 2.24 (3H, s), 2.32 (6H, s), 6.03 (1H, d, $^3J_{HH}$ = 7.5 Hz), 6.59 (1H, d, $^3J_{HH}$ = 7.7 Hz), 6.78 (1H, d, $^3J_{HH}$ = 7.3 Hz), 6.92 (2H, d, $^3J_{HH}$ = 7.9 Hz), 6.97 (1H, d, $^3J_{HH}$ = 7.8 Hz), 7.02 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.13 (2H, d, $^3J_{HH}$ = 7.9 Hz), 7.54 (2H, d, $^3J_{HH}$ = 8.0 Hz), 12.74 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 9.4, 12.6, 20.9, 21.0, 31.2, 34.3, 63.0 (q, $^2J_{CF}$ = 25.2 Hz), 120.0, 124.3 (q, $^1J_{CF}$ = 286.7 Hz), 125.0, 127.86, 127.94, 128.0, 128.6, 128.7, 129.2, 129.3, 130.8, 132.2, 133.8, 134.1,

135.8, 137.5, 139.7, 150.2, 163.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -63.30 (s). HRMS (ESI) calcd for $C_{32}H_{35}N_3OF_3$ ($[M+H]^+$), 534.2732; found, 534.2748. Anal. calcd for $C_{32}H_{34}F_3N_3O$: C, 72.02; H, 6.42; F, 10.68; N, 7.87. Found: C, 72.01; H, 6.48; F, 10.66; N, 7.73.

*2-(4-Methylquinolin-2-yl)-2-(4-tert-butylphenyl)acetic acid N-(4-methylphenyl)amide (**11a**).* Yellow crystals (161 mg, 76%), mp 164–166 °C (isooctane–CH_2Cl_2). R_f 0.51 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3163, 3021, 2958, 1680, 1597, 1541, 1509, 1443, 1410, 1364, 1312, 1255, 1168, 1108, 1028, 905, 813, 758. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.24 (9H, s), 2.29 (3H, s), 2.65 (3H, s), 5.20 (1H, s), 7.10 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.24 (1H, s), 7.30 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.46 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.56 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.58 (1H, m), 7.76 (1H, tm, $^3J_{HH}$ = 7.6 Hz), 7.97 (1H, d, $^3J_{HH}$ = 8.1 Hz), 8.19 (1H, d, $^3J_{HH}$ = 8.3 Hz), 11.46 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 18.8, 20.8, 31.2, 34.4, 60.1, 119.8, 123.4, 123.9, 125.7, 126.6, 127.1, 127.8, 128.9, 129.3, 129.9, 133.3, 135.8, 136.0, 146.0, 146.4, 150.2, 158.7, 168.4. MS (EI 70 eV, *m/z*, %) 422 (M^+, 2), 315 (6), 289 (100), 274 (63), 106 (22). HRMS (EI) calcd for $C_{29}H_{30}N_2O$ (M^+), 422.2358; found, 422.2365. Anal. calcd for $C_{29}H_{30}N_2O$: C, 82.43; H, 7.16; N, 6.63. Found: C, 82.20; H, 7.25; N 6.48.

*2-(4-Methylquinolin-2-yl)-2-(3-nitrophenyl)acetic acid N-(4-methylphenyl)amide (**11b**).* Pale yellow crystals (170 mg, 83%), mp 103–104 °C (isooctane–CH_2Cl_2). R_f 0.28 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3249, 3033, 2921, 1652, 1603, 1526, 1348, 1250, 1166, 1096, 818, 760, 434, 703. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.30 (3H, s), 2.71 (3H, s), 5.37 (1H, s), 7.12 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.27 (1H, s), 7.47 (1H, t, $^3J_{HH}$ = 8.0 Hz), 7.53 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.64 (1H, t, $^3J_{HH}$ = 7.3 Hz), 7.83 (1H, t, $^3J_{HH}$ = 7.6 Hz), 7.93 (1H, d, $^3J_{HH}$ = 7.8 Hz), 8.03 (1H, d, $^3J_{HH}$ = 8.4 Hz), 8.09 (1H, dm, $^3J_{HH}$ = 8.2 Hz), 8.24 (1H, d, $^3J_{HH}$ = 8.4 Hz), 8.41 (1H, s), 11.45 (1H, bs). ^{13}C NMR (125 MHz, $CDCl_3$) δ 18.9, 20.8, 59.5, 119.9, 122.5, 123.0, 123.4, 124.0, 127.2, 127.2, 128.8, 129.5, 129.6, 130.5, 134.0, 134.5, 135.5, 140.7, 146.0, 147.7, 148.4, 157.1, 166.8. MS (EI 70 eV, *m/z*, %) 411 (M^+, 2), 278 (100), 232 (28), 217 (19). HRMS (EI) calcd for $C_{25}H_{21}N_3O_3$ (M^+), 411.1583; found, 411.1594. Anal. calcd for $C_{25}H_{21}N_3O_3$: C, 72.98; H, 5.14; N, 10.21. Found: C, 73.10; H, 5.07; N 10.12.

*2-(1-Isoquinolinyl)-2-(4-tert-butylphenyl)acetic acid N,N-diethylamide (**11c**).* Pale yellow oil (122 mg, 65%). R_f 0.25 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2965, 1648, 1428, 1362, 1271, 1134, 827, 732. ^{1}H NMR (400 MHz, $CDCl_3$) δ 0.94 (1H, t, $^3J_{HH}$ = 7.0 Hz), 1.16 (1H, t, $^3J_{HH}$ = 7.0 Hz), 1.26 (9H, s), 3.17 (2H, m), 3.46 (2H, m), 5.99 (1H, s), 7.22 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.29 (2H, d, $^3J_{HH}$ = 8.3 Hz), 7.50 (1H, t, $^3J_{HH}$ = 7.4 Hz), 7.55 (1H, d, $^3J_{HH}$ = 5.6 Hz), 7.60 (1H, t, $^3J_{HH}$ = 7.3 Hz), 7.79 (1H, d, $^3J_{HH}$ = 8.1 Hz), 8.14 (1H, d, $^3J_{HH}$ = 8.5 Hz), 8.53 (1H, d, $^3J_{HH}$ = 5.7 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 12.7, 13.9,

31.3, 34.3, 40.3, 41.8, 54.9, 120.1, 125.2, 125.2, 126.8, 127.3, 127.5, 129.1, 129.7, 135.2, 136.8, 142.0, 149.5, 158.4, 170.0. HRMS (EI) calcd for $C_{25}H_{31}N_2O$ ([M+H]$^+$), 375.2436; found, 375.2448.

*2-[6-(2-pyridyl)-pyrid-2-yl]-2-(3-nitrophenyl)acetic acid N-(4-methylphenyl)amide (**11d**)*. White solid (25 mg, 12%), mp 139–141 °C (isooctane–CH_2Cl_2). R_f 0.23 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3308, 3276, 3240, 3126, 3061, 2920, 1904, 1671, 1658, 1607, 1580, 1527, 1455, 1428, 1344, 1253, 1151, 1095, 991, 861, 810, 775, 726, 692. ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.28 (3H, s), 5.24 (1H, s), 7.09 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.40 (4H, m), 7.51 (1H, t, $^3J_{HH}$ = 8.0 Hz), 7.91 (3H, m), 8.13 (1H, dm, $^3J_{HH}$ = 8.2 Hz), 8.42 (2H, dm, $^3J_{HH}$ = 7.9 Hz), 8.50 (1H, m), 8.77 (1H, dm, $^3J_{HH}$ = 4.7 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.8, 60.3, 119.8, 120.6, 120.7, 122.5, 123.3, 124.4, 124.8, 129.5, 129.6, 134.1, 134.6, 135.2, 137.3, 139.2, 140.8, 148.5, 149.8, 155.1, 156.0, 156.5, 166.9. MS (EI 70 eV, *m/z*, %) 291 (100), 261 (13), 244 (32), 167 (13). HRMS (ESI) calcd for $C_{25}H_{21}N_4O_3$ (M^+), 425.1614; found, 425.1619.

*2-(4-tert-butylpyridin-2-yl)-2-(4-trifluoromethylphenyl)acetic acid N-(4-methylphenyl)amide (**11e**)*. Yellow oil (64 mg, 30%). R_f 0.24 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3278, 3032, 2967, 1683, 1601, 1542, 1515, 1406, 1326, 1165, 1126, 1068, 1019, 819, 737. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.30 (9H, s), 2.28 (3H, s), 5.12 (1H, s), 7.09 (2H, d, $^3J_{HH}$ = 8.2 Hz), 7.28 (1H, dd, $^3J_{HH}$ = 5.4 Hz, $^4J_{HH}$ = 1.7 Hz), 7.34 (1H, d, $^4J_{HH}$ = 1.3 Hz), 7.46 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.54 (2H, d, $^3J_{HH}$ = 8.4 Hz), 7.60 (2H, d, $^3J_{HH}$ = 8.4 Hz), 8.59 (1H, d, $^3J_{HH}$ = 5.4 Hz), 10.69 (1H, s). ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.8, 30.4, 34.9, 60.9, 119.9, 120.0, 122.0, 124.0 (q, $^1J_{CF}$ = 271.9 Hz), 125.6 (q, $^3J_{CF}$ = 3.8 Hz), 128.4, 129.4, 129.5 (q, $^2J_{CF}$ = 32.3 Hz), 133.8, 135.6, 143.2, 148.8, 157.4, 162.4, 167.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.57 (s). HRMS (ESI) calcd for $C_{25}H_{26}N_2OF_3$ ([M+H]$^+$), 427.1997; found, 427.1990.

*Amide **11f***. Pale yellow oil (37 mg, 18%). R_f 0.15 (hexanes–AcOEt 2:1). 2,5-Substituted regioisomer (major): IR (CH_2Cl_2) ν_{max}/cm^{-1} 2952, 1721, 1688, 1657, 1590, 1481, 1402, 1280, 1109, 1021, 757, 732. ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.60 (3H, s), 3.13 (2H, m), 3.91 (3H, s), 3.96 (1H, dt, $^2J_{HH}$ = 6.5 Hz, $^3J_{HH}$ = 10.2 Hz), 4.24 (1H, dt, $^2J_{HH}$ = 6.9 Hz, $^3J_{HH}$ = 10.1 Hz), 5.62 (1H, s), 7.04 (1H, t, $^3J_{HH}$ = 7.4 Hz), 7.18 (2H, m), 7.39 (1H, d, $^3J_{HH}$ = 8.3 Hz), 7.52 (2H, d, $^3J_{HH}$ = 8.3 Hz), 8.05 (2H, d, $^3J_{HH}$ = 8.4 Hz), 8.17 (1H, dd, $^3J_{HH}$ = 8.3 Hz, $^4J_{HH}$ = 2.3 Hz), 8.28 (1H, d, $^3J_{HH}$ = 8.0 Hz), 9.09 (1H, d, $^4J_{HH}$ = 1.6 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 26.7, 28.0, 48.3, 52.2, 60.3, 117.5, 124.0, 124.3, 124.6, 127.6, 129.0, 129.9, 130.4, 131.0, 131.2, 136.2, 141.5, 142.7, 149.4, 162.8, 166.5, 167.9, 196.3. HRMS (ESI) calcd for $C_{25}H_{23}N_2O_4$ ([M+H]$^+$), 415.1658; found, 415.1651.

*2-(3-methoxycarbonylpyridin-2-yl)-2-(4-trifluoromethylphenyl)acetic acid N-(4-methylphenyl)amide (**11g**)*. Pale yellow oil (45 mg, 21%). R_f 0.17 (hexanes–AcOEt 2:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3303, 3031,

2953, 1729, 1661, 1598, 1515, 1326, 1292, 1165, 1122, 1068, 1019, 818, 747. 2,5-Substituted isomer (major): ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.29 (3H, s), 3.96 (3H, s), 5.22 (1H, s), 7.09 (2H, m), 7.42 (2H, m), 7.55 (4H, m), 7.65 (1H, d, $^{3}J_{HH}$ = 8.0 Hz), 8.31 (1H, dd, $^{3}J_{HH}$ = 8.1 Hz, $^{4}J_{HH}$ = 2.0 Hz), 9.27 (1H, d, $^{4}J_{HH}$ = 1.6 Hz), 10.03 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.8, 52.5, 60.8, 120.0, 123.9 (q, $^{1}J_{CF}$ = 272.2 Hz), 124.4, 125.2, 125.8 (q, $^{3}J_{CF}$ = 3.8 Hz), 128.6, 129.4, 130.0 (q, $^{2}J_{CF}$ = 32.3 Hz), 134.2, 135.1, 138.7, 141.9, 150.2, 161.8, 165.1, 166.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.69 (s). 2,3-Substituted isomer (minor): ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.28 (3H, s), 3.92 (3H, s), 6.30 (1H, s), 7.09 (2H, m), 7.37 (1H, dd, $^{3}J_{HH}$ = 7.8 Hz, 4.8 Hz), 7.42 (2H, m), 7.55 (4H, m), 8.28 (1H, dm, $^{3}J_{HH}$ = 8.0 Hz), 8.80 (1H, dd, $^{3}J_{HH}$ = 4.7 Hz, $^{4}J_{HH}$ = 1.6 Hz), 9.71 (1H, bs). ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.8, 52.8, 56.5, 119.9, 122.3, 125.4 (q, $^{3}J_{CF}$ = 3.7 Hz), 126.5, 128.6, 129.4, 133.9, 135.3, 139.5, 142.4, 151.5, 158.2, 166.3, 167.6. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.60 (s). HRMS (ESI) calcd for $C_{23}H_{20}N_2O_3F_3$ ($[M+H]^+$), 429.1426; found, 429.1420.

Synthesis and characterization amide 10j. This compound was obtained using the same two-step procedure as described for **10i** and **4j** (see main text), but with the first step (reaction of **1b** and **2j** at 70 °C) lasting 30 min and using 4-aminobutyric aldehyde diethyl acetal (1.14 mmol, 184 mg, 197 μL) instead of *p*-toluidine, in 287 mg (65%) yield as pale yellow oil. R_f 0.22 (hexanes–AcOEt 5:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 3357, 3186, 2969, 1690, 1515, 1446, 1390, 1213, 1173, 1155, 1061, 828. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.20 (6H, t, $^{3}J_{HH}$ = 6.6 Hz), 1.25 (9H, s), 1.64 (3H, s), 1.71 (4H, m), 2.25 (6H, s), 3.34 (2H, m), 3.51 (2H, m), 3.66 (2H, m), 4.53 (1H, t, $^{3}J_{HH}$ = 5.3 Hz), 6.16 (1H, dd, $^{3}J_{HH}$ = 8.0 Hz, $^{4}J_{HH}$ = 1.9 Hz), 6.68 (2H, d, $^{3}J_{HH}$ = 8.2 Hz), 6.92 (3H, m), 7.01 (2H, d, $^{3}J_{HH}$ = 8.6 Hz), 9.82 (1H, t, $^{3}J_{HH}$ = 5.3 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 9.3, 12.5, 15.2, 20.8, 24.2, 30.9, 31.1, 34.1, 39.8, 61.0, 61.1, 62.4 (q, $^{2}J_{CF}$ = 24.9 Hz), 102.5, 124.3 (q, $^{1}J_{CF}$ = 286.4 Hz), 124.7, 127.3, 127.8, 127.9, 128.3, 128.6, 129.0, 131.0, 132.0, 134.2, 137.2, 139.8, 149.9, 165.9. ^{19}F NMR (376 MHz, $CDCl_3$) δ -63.26 (s). HRMS (ESI) calcd for $C_{33}H_{45}N_3O_3F_3$ ($[M+H]^+$), 588.3413; found, 588.3420.

Characterization data for 2-alkylimidazoles 4

*1-(1-Benzyl-4,5-dimethylimidazol-2-yl)-1-(4-trifluoromethylphenyl)ethane (**4h**).* Pale yellow oil. R_f 0.28 (hexanes–AcOEt 1:1). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2926, 1432, 1325, 1164, 1123, 1071, 1017, 843, 729. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.67 (3H, d, $^{3}J_{HH}$ = 7.2 Hz), 1.99 (3H, s), 2.25 (3H, s), 4.00 (1H, q, $^{3}J_{HH}$ =

7.1 Hz), 4.79 (2H, AB, $^{2}J_{HH}$ = 17.2 Hz), 6.75 (2H, m), 7.21 (5H, m), 7.43 (2H, d, $^{3}J_{HH}$ = 8.1 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 8.7, 12.8, 21.7, 38.1, 46.4, 122.8, 124.1 (q, $^{1}J_{CF}$ = 271.0 Hz), 125.4, 125.5 (q, $^{3}J_{CF}$ = 3.7 Hz), 127.4, 127.5, 128.6 (q, $^{2}J_{CF}$ = 32.3 Hz), 128.7, 132.0, 136.4, 147.4, 148.2. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.45 (s). MS (EI 70 eV, *m/z*, %) 358 (M^+, 77), 343 (35), 267 (43), 91 (100). HRMS (EI) calcd for $C_{21}H_{21}N_2F_3$ (M^+), 358.1657; found, 358.1654.

*1-(4,5-Dimethyl-1-p-tolylimidazol-2-yl)-1-(3-nitrophenyl)ethane (**4i'**)*. Pale yellow crystals (62 mg, 25% from 0.75 mmol of **2i**), mp 99–101 °C (isooctane–CH_2Cl_2). R_f 0.19 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 2918, 2860, 1536, 1424, 1348, 807, 730. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.68 (3H, d, $^{3}J_{HH}$ = 7.3 Hz), 1.85 (3H, s), 2.24 (3H, s), 2.39 (3H, s), 4.01 (1H, q, $^{3}J_{HH}$ = 7.3 Hz), 6.42 (1H, m), 7.04 (2H, m), 7.27 (1H, m), 7.34 (1H, t, $^{3}J_{HH}$ = 7.8 Hz), 7.41 (1H, d, $^{3}J_{HH}$ = 7.6 Hz), 7.68 (1H, t, $^{4}J_{HH}$ = 2.0 Hz), 7.96 (1H, dm, $^{3}J_{HH}$ = 8.1 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 9.1, 12.7, 21.1, 21.2, 37.8, 121.2, 122.4, 123.9, 127.5, 129.1, 129.9, 132.0, 133.5, 133.8, 139.0, 146.4, 147.4, 148.0. HRMS (ESI) calcd for $C_{20}H_{22}N_3O_2$ ($[M+H]^+$), 336.1712; found, 336.1710. Anal. calcd for $C_{20}H_{21}N_3O_2$: C, 71.62; H, 6.31; N, 12.53. Found: C, 71.42; H, 6.51; N, 12.48.

Characterization data for side products 6, 7, 8

*1,1-Bis(diethylamino)-2-(4-methoxycarbonylphenyl)ethene (**6**)*. Yellow oil (54 mg, 35%). R_f 0.10 (AcOEt). IR (CH_2Cl_2) ν_{max}/cm^{-1} 2968, 1712, 1571, 1546, 1432, 1275, 1171, 1102, 778. ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.06 (6H, q, $^{3}J_{HH}$ = 7.0 Hz), 3.02 (2H, q, $^{3}J_{HH}$ = 7.1 Hz), 3.08 (2H, d, $^{3}J_{HH}$ = 7.0 Hz), 3.85 (3H, s), 4.56 (1H, s), 7.04 (2H, d, $^{3}J_{HH}$ = 8.5 Hz), 7.82 (2H, d, $^{3}J_{HH}$ = 8.5 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 12.0, 13.3, 42.5, 43.2, 51.5, 90.4, 122.1, 124.5, 129.4, 146.5, 155.8, 167.5. HRMS (ESI) calcd for $C_{18}H_{29}N_2O_2$ ($[M+H]^+$), 305.2229; found, 305.2228.

*Methyl 4-(2,2,2-trifluoroethyl)benzoate (**7**)*.[7] Colourless oil. R_f 0.72 (hexanes–AcOEt 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.43 (1H, q, $^{3}J_{HF}$ = 10.7 Hz), 3.92 (3H, s), 7.38 (2H, d, $^{3}J_{HH}$ = 8.1 Hz), 8.03 (2H, d, $^{3}J_{HH}$ = 8.3 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 40.3 (q, $^{2}J_{CF}$ = 30.0 Hz), 52.2, 125.4 (q, $^{1}J_{CF}$ = 277.3 Hz), 129.9, 130.1, 130.2, 135.1 (q, $^{3}J_{CF}$ = 2.9 Hz), 166.6. ^{19}F NMR (376 MHz, $CDCl_3$) δ -65.57 (t, $^{3}J_{FH}$ = 10.2 Hz).

*N-(4-methoxycarbonylphenylacetyl)indoline (**8**)*. White crystals, mp 107–108 °C (isooctane–CH_2Cl_2). R_f 0.67 (hexanes–AcOEt 2:1). IR (KBr) ν_{max}/cm^{-1} 3416, 3312, 3065, 2947, 1717, 1662, 1599, 1482, 1395, 1276, 1185, 1109, 1022, 759, 743. ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.17 (2H, t, $^{3}J_{HH}$ = 8.3 Hz), 3.86

(2H, s), 3.91 (3H, s), 4.07 (2H, t, $^3J_{HH}$ = 8.3 Hz), 7.02 (1H, t, $^3J_{HH}$ = 7.4 Hz), 7.19 (2H, m), 7.39 (2H, d, $^3J_{HH}$ = 8.1 Hz), 8.02 (2H, d, $^3J_{HH}$ = 8.1 Hz), 8.24 (1H, d, $^3J_{HH}$ = 8.1 Hz). ^{13}C NMR (100 MHz, $CDCl_3$) δ 28.1, 43.4, 48.2, 52.1, 117.2, 124.0, 124.5, 127.6, 129.0, 129.2, 130.0, 131.0, 139.5, 142.9, 166.9, 168.2. MS (EI 70 eV, *m/z*, %) 295 (M^+, 45), 264 (100), 236 (57). HRMS (EI) calcd for $C_{18}H_{17}NO_3$ (M^+), 295.1208; found, 295.1206.

Synthesis and characterization of 1-Fluoro-2-(4-tert-butylphenyl)ethene 13. A solution of 1,1-difluoro-2-(4-*tert*-butylphenyl)ethene **2b** (0.5 mmol, 98 mg) in THF (1 mL) was prepared in a flame dried Schlenk flask under argon atmosphere and cooled to -78 °C. Sodium bis(2-methoxyethoxy)aluminum hydride (0.28 mmol, 89 μL of 60% solution in toluene) was added dropwise. After 5 min of stirring the cooling bath was removed and the raction allowed to warm to rt over about 30 min. Saturated aqueous NH_4Cl (1 mL) was added with vigorous stirring and then the reactiom mixture was separated between brine (5 mL) and *n*-pentane (5 mL). The two layers were separated, the aqueous phase was washed with *n*-pentane (2 mL) and the combined organic phases were washed with brine (5 mL), dried over anhydrous Na_2SO_4 and evaporated. After column chromatography on silica gel with *n*-pentane as eluent 76 mg (85%) of fluorostyrene **13** was obtained as an inseparable 12.5:1 mixture of *E* and *Z* isomers; pale yellow oil. IR (film) ν_{max}/cm^{-1} 2964, 1659, 1110, 1089, 912, 559. HRMS (ESI) calcd for $C_{12}H_{16}F$ ($[M+H]^+$), 179.1236; found, 179.1239. Isomer *E*: ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.32 (9H, s), 6.37 (1H, dd, $^3J_{HF}$ = 19.4 Hz, $^3J_{HH}$ = 11.4 Hz), 7.14 (1H, dd, $^2J_{HF}$ = 83.6 Hz, $^3J_{HH}$ = 11.4 Hz), 7.18 (2H, m), 7.33 (2H, m). ^{13}C NMR (100 MHz, $CDCl_3$) δ 31.2, 34.5, 113.5 (d, $^2J_{CF}$ = 15.7 Hz), 125.7, 125.9 (d, $^4J_{CF}$ = 2.8 Hz), 129.7 (d, $^3J_{CF}$ = 11.8 Hz), 149.8 (d, $^1J_{CF}$ = 257.5 Hz), 150.6 (d, J_{CF} = 1.8 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -131.00 (dd, $^2J_{FH}$ = 83.8 Hz, $^3J_{FH}$ = 19.4 Hz). *Z*: ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.30 (9H, s), 5.58 (1H, dm, $^3J_{HF}$ = 45.0 Hz), 6.61 (1H, dd, $^2J_{HF}$ = 83.0 Hz, $^3J_{HH}$ = 5.2 Hz), 7.37 (2H, m), 7.48 (2H, m). ^{19}F NMR (376 MHz, $CDCl_3$) δ -123.17 (dd, $^2J_{FH}$ = 82.6 Hz, $^3J_{FH}$ = 45.3 Hz).

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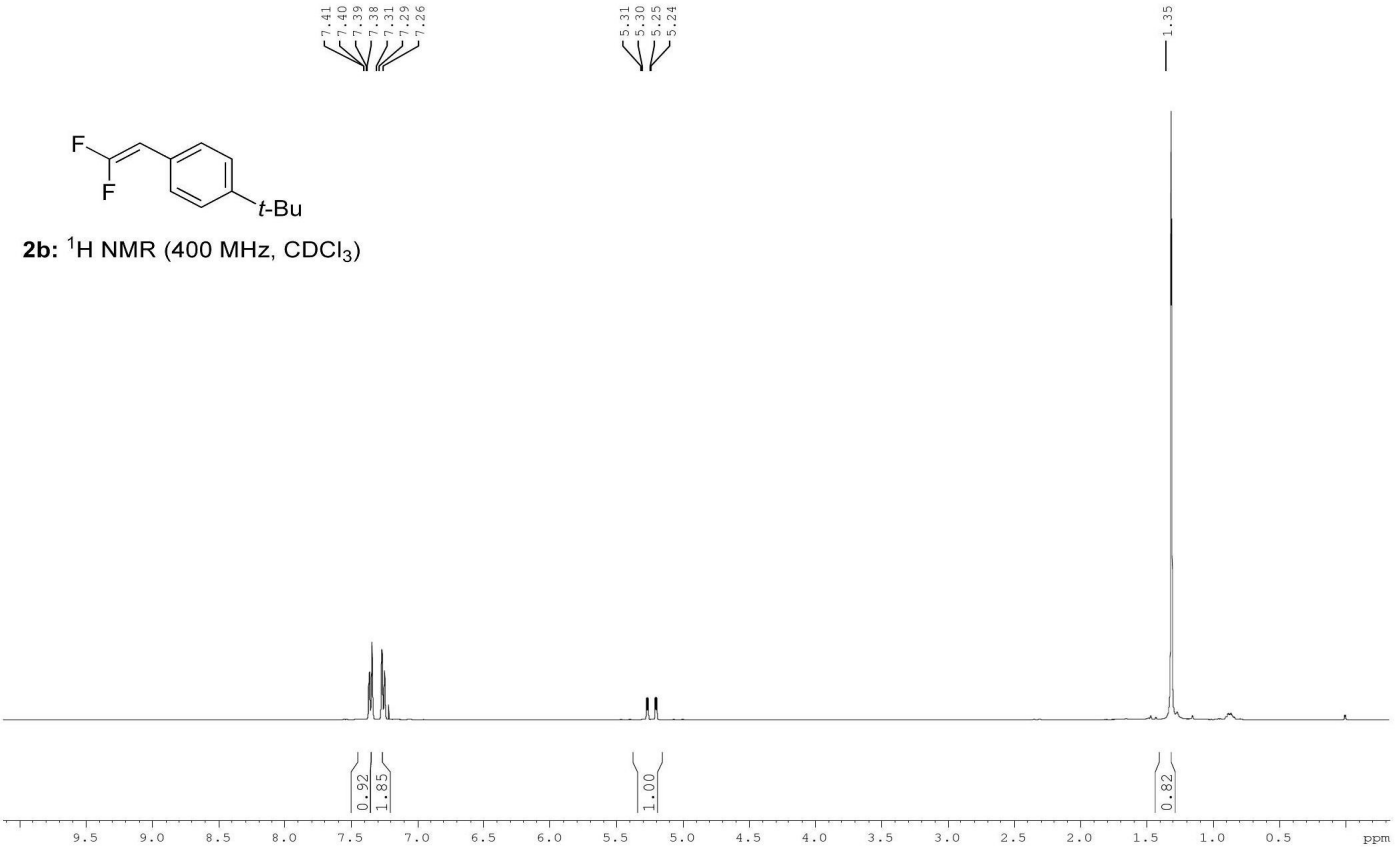

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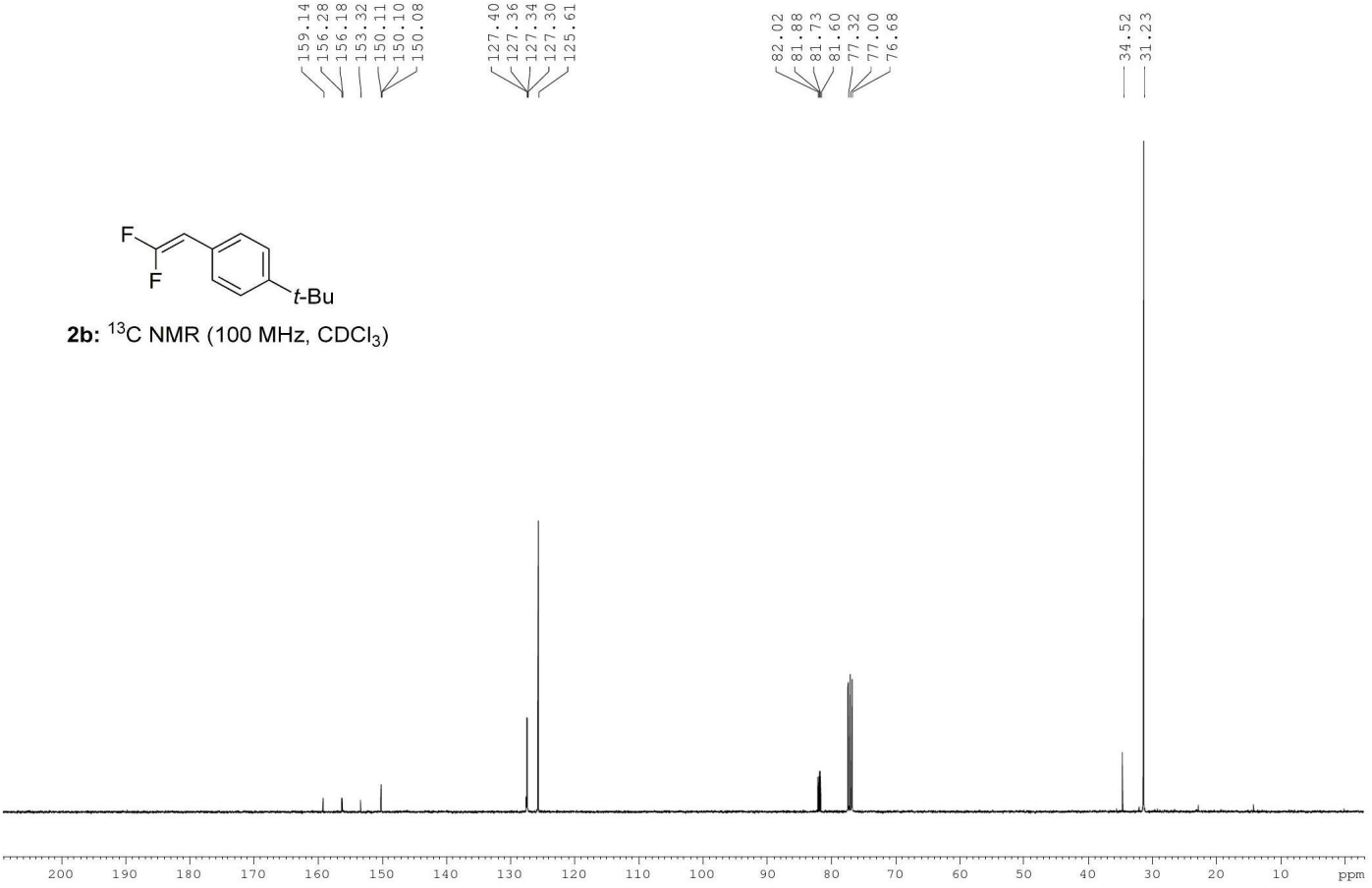

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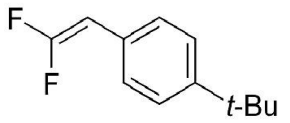

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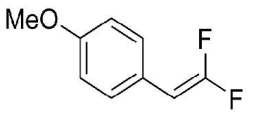
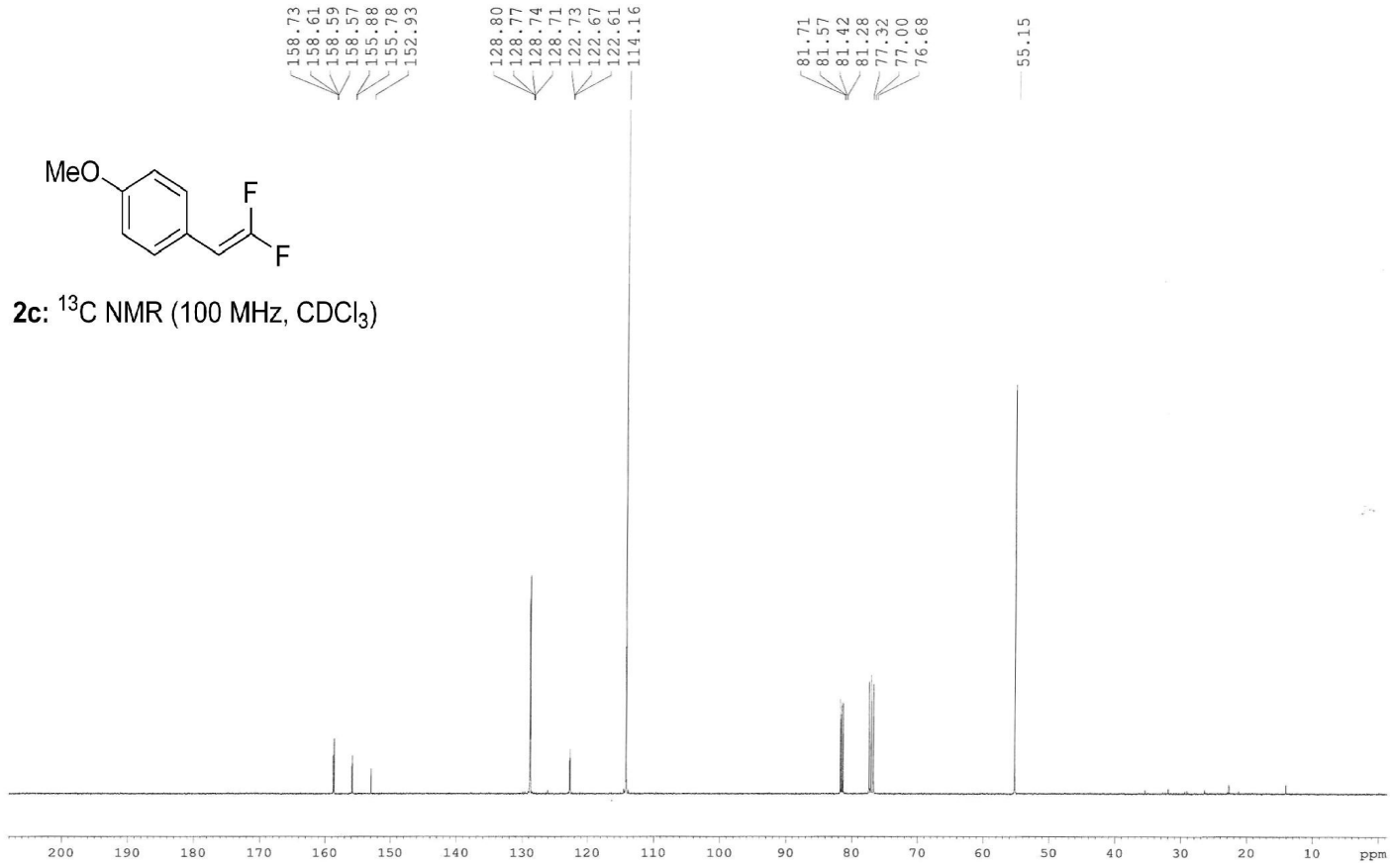
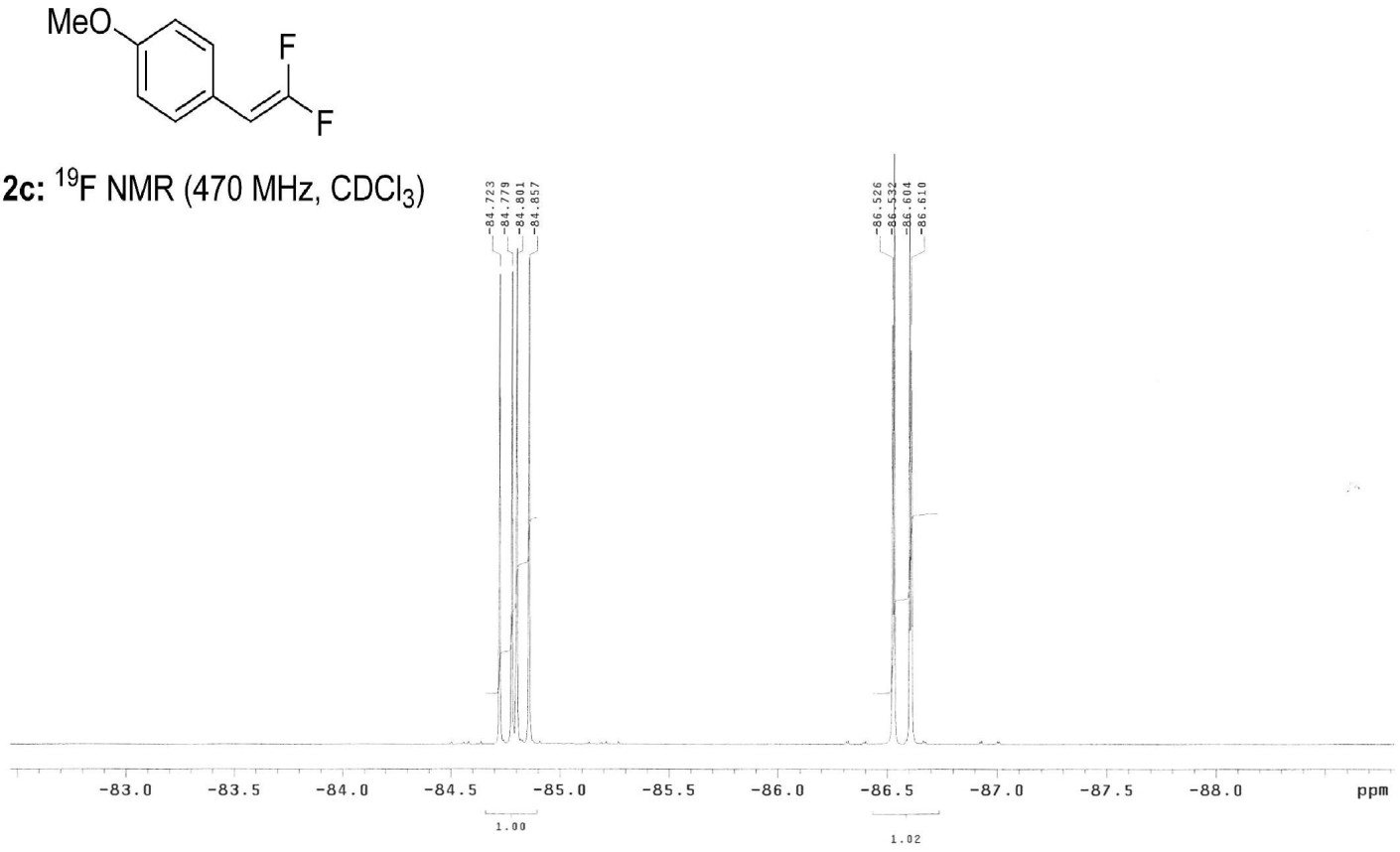


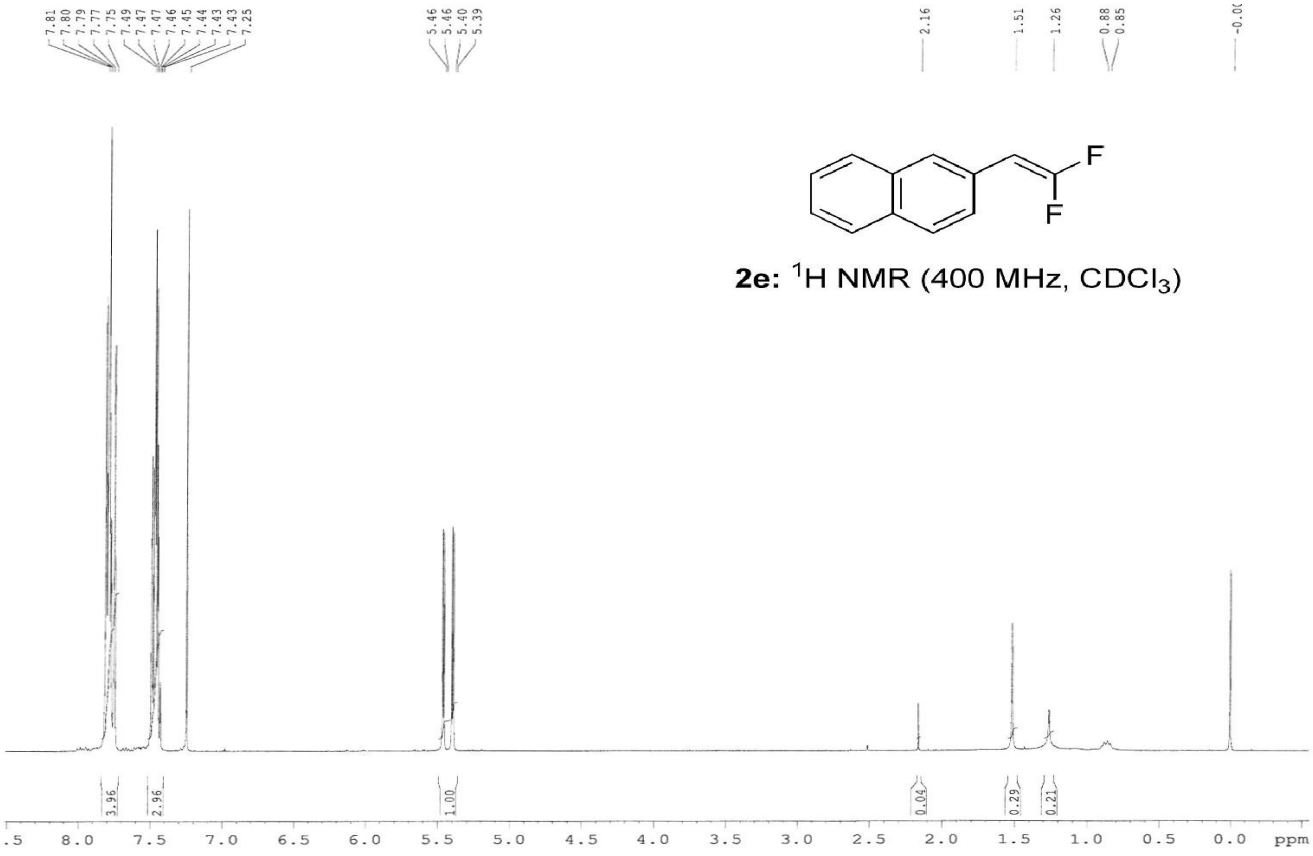
2c: ^{1}H NMR (400 MHz, $CDCl_3$)



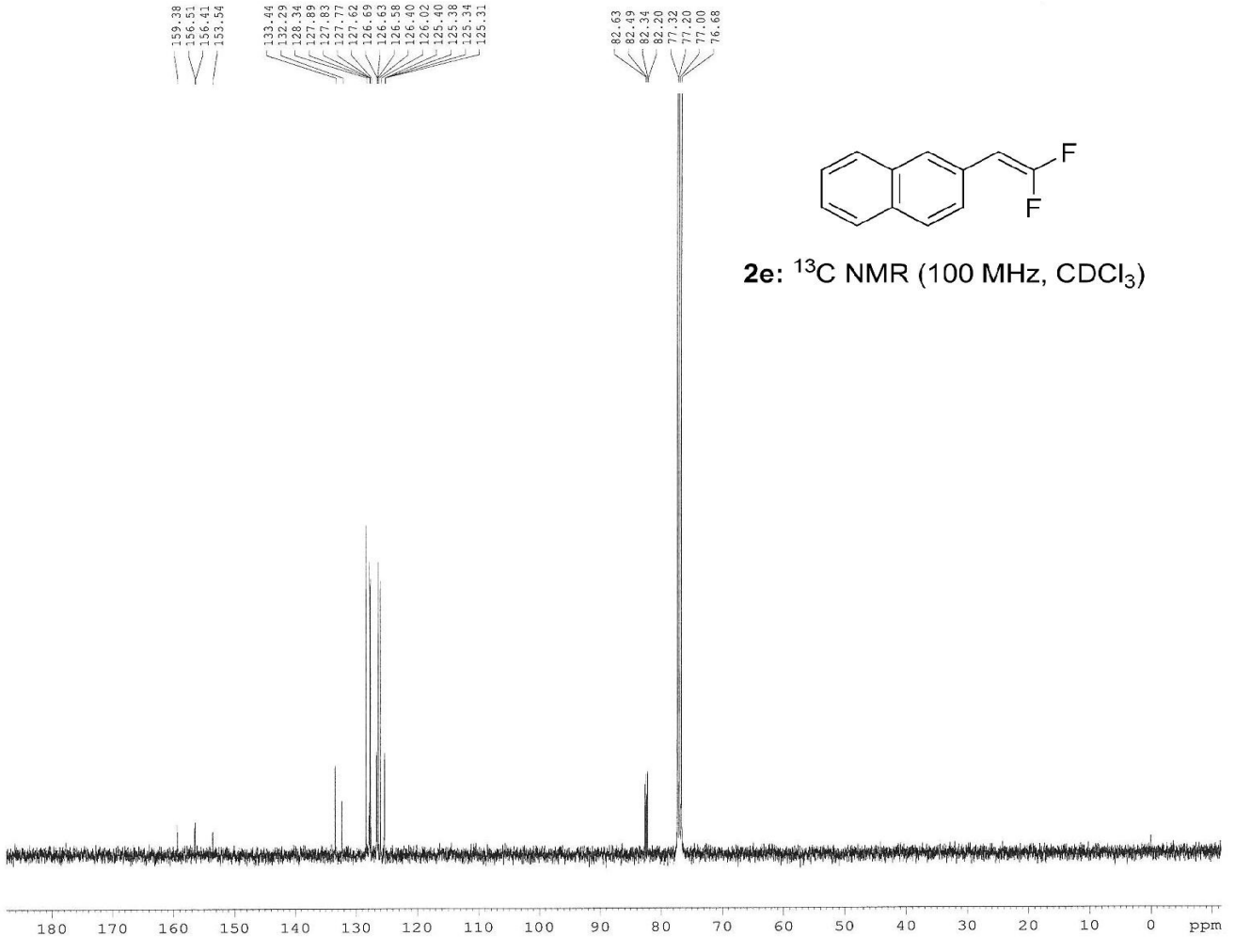
2c: ^{13}C NMR (100 MHz, $CDCl_3$)



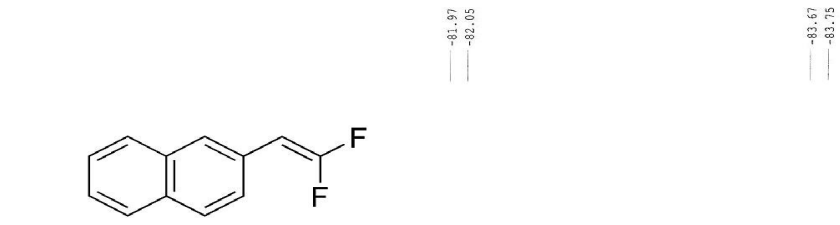
2c: ^{19}F NMR (470 MHz, $CDCl_3$)



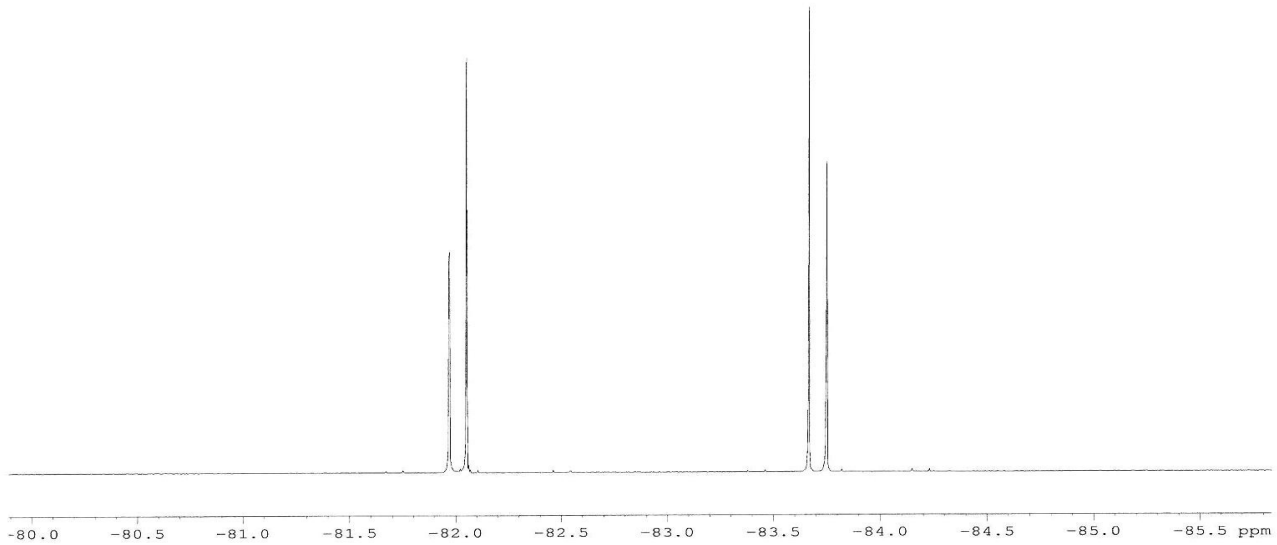
2e: ^{1}H NMR (400 MHz, $CDCl_3$)



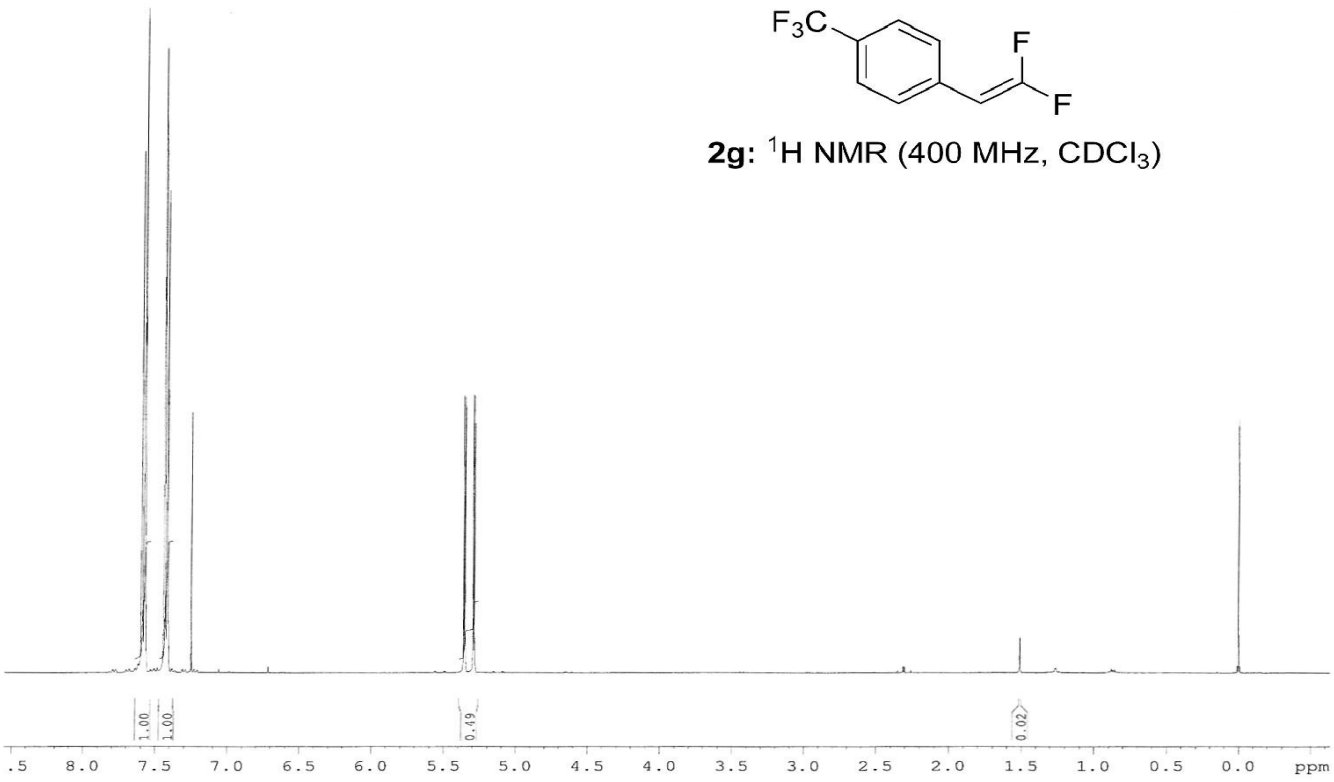
2e: ^{13}C NMR (100 MHz, $CDCl_3$)

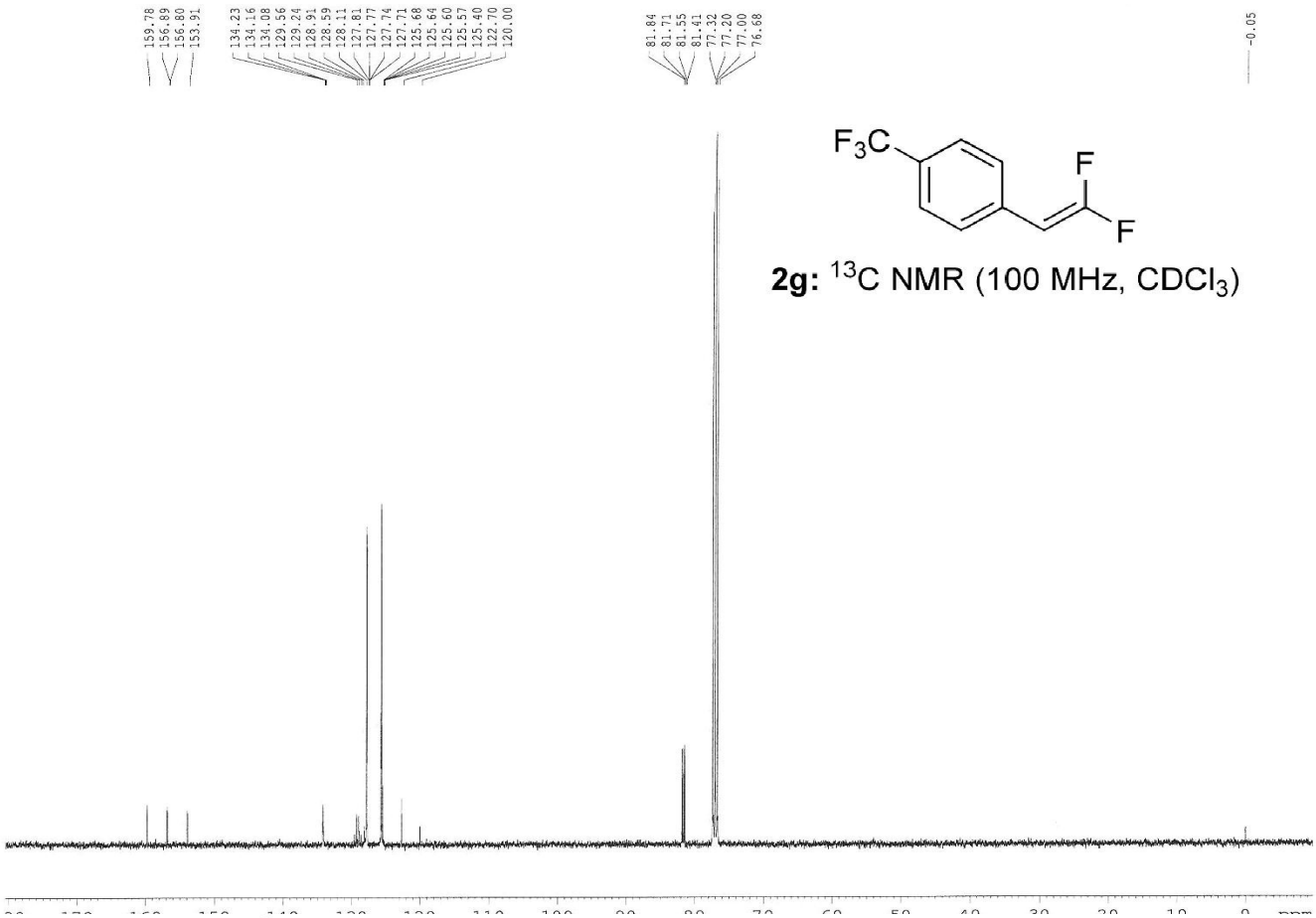


2e: ^{19}F NMR (376 MHz, $CDCl_3$)

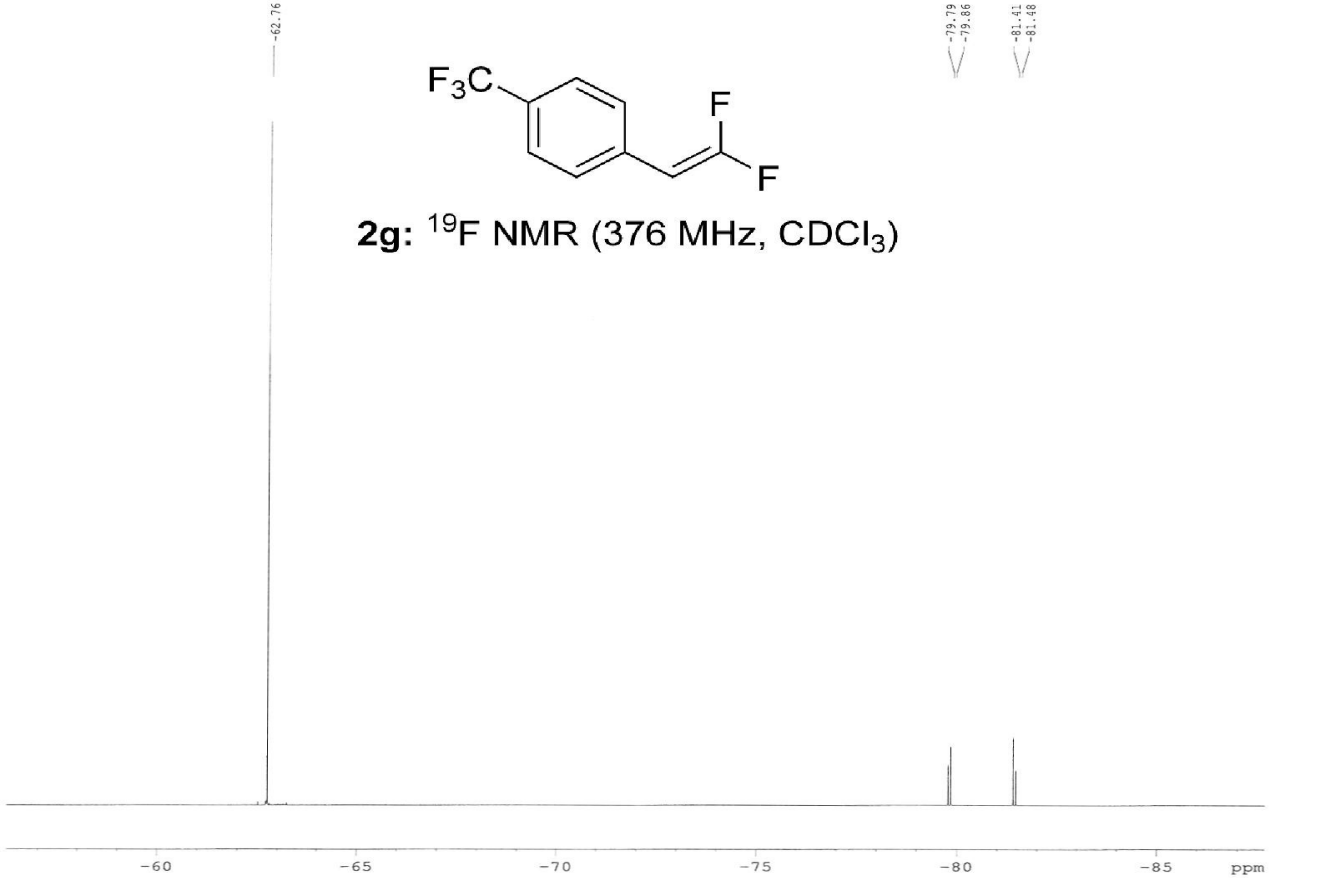


2g: ^{1}H NMR (400 MHz, $CDCl_3$)

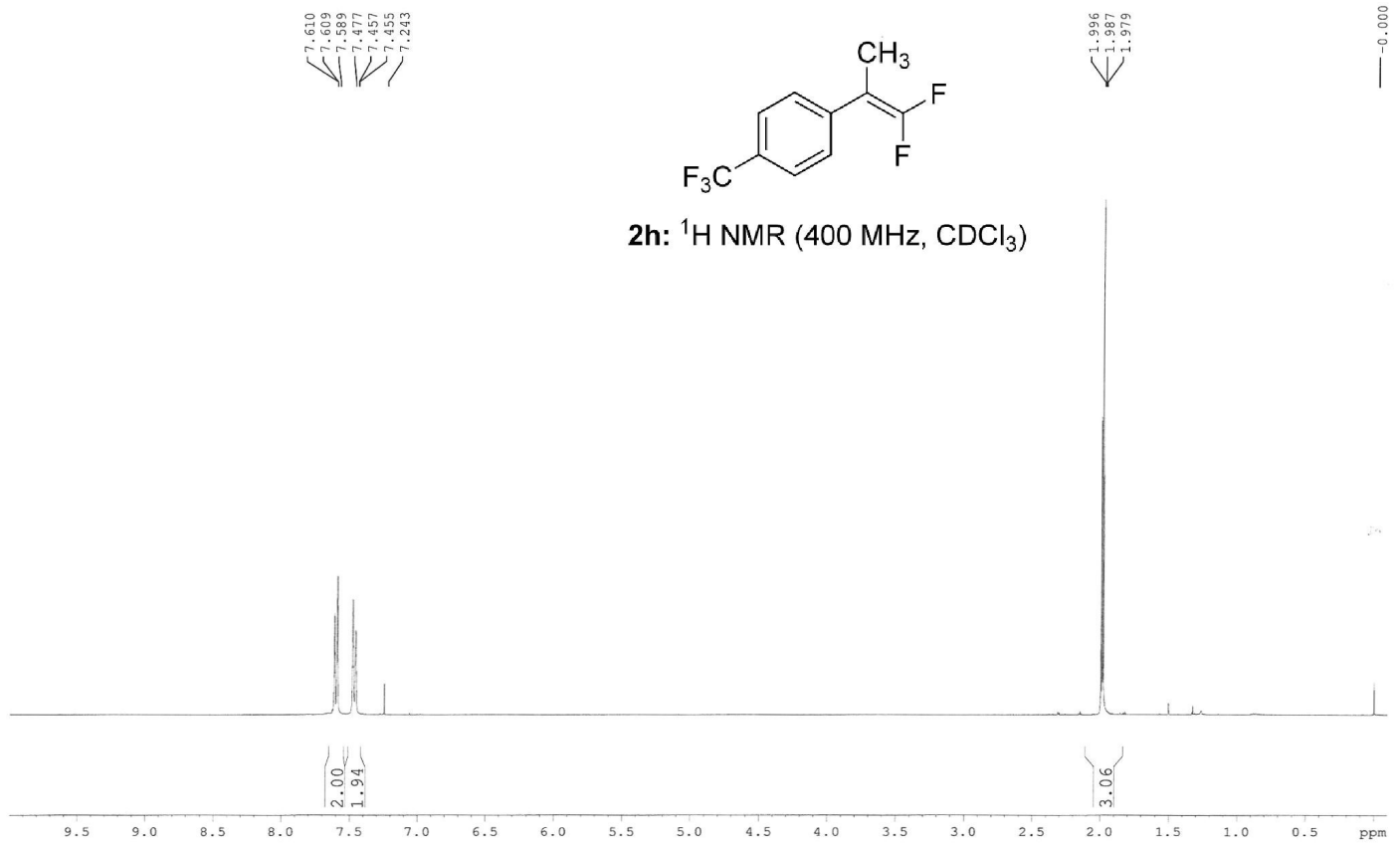




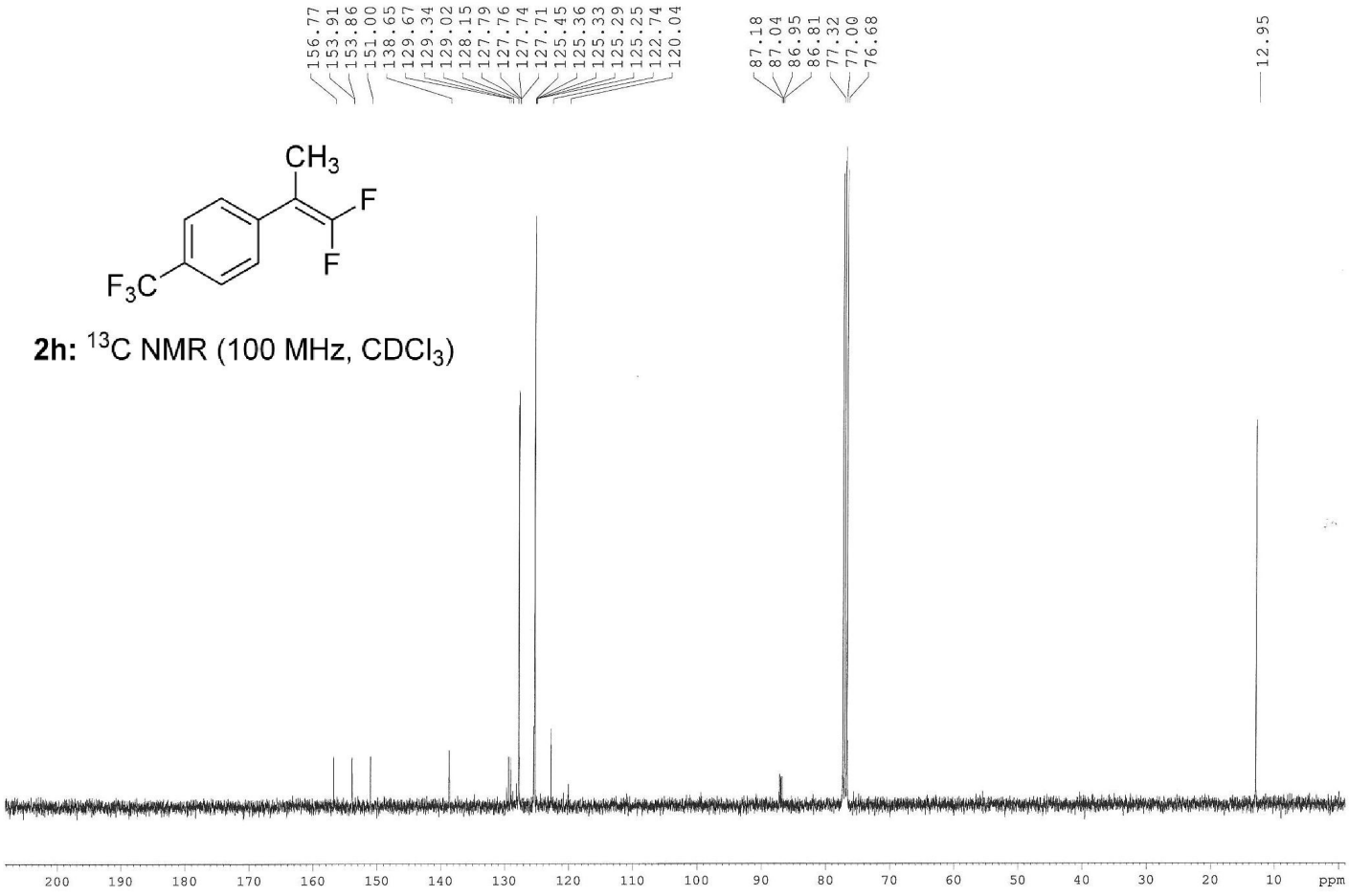
2g: ^{13}C NMR (100 MHz, $CDCl_3$)



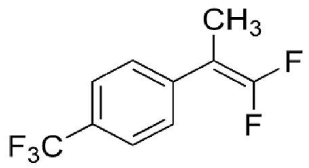
2g: ^{19}F NMR (376 MHz, $CDCl_3$)



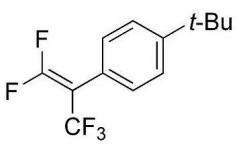
2h: ^{1}H NMR (400 MHz, $CDCl_3$)



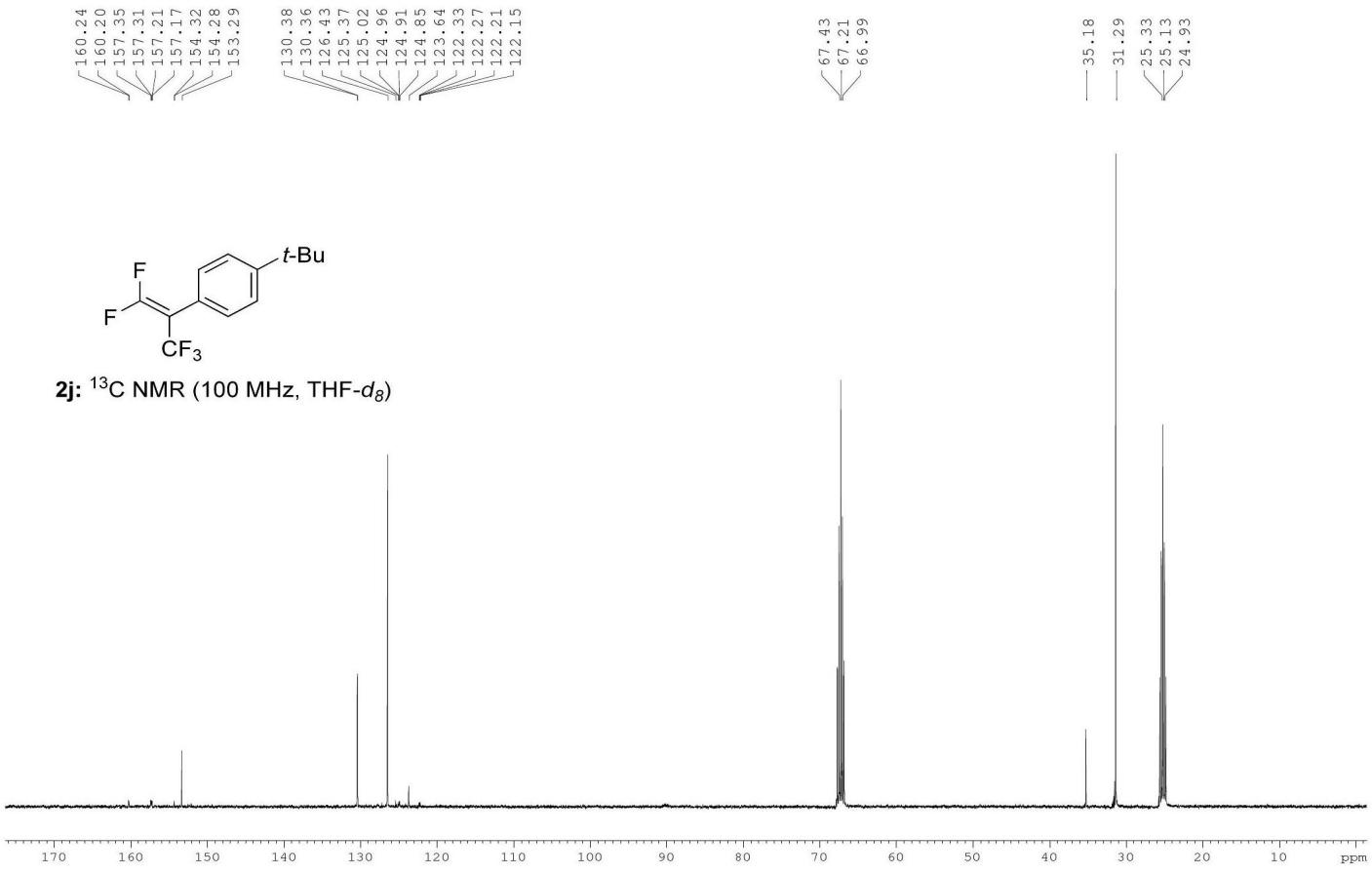
2h: ^{13}C NMR (100 MHz, $CDCl_3$)



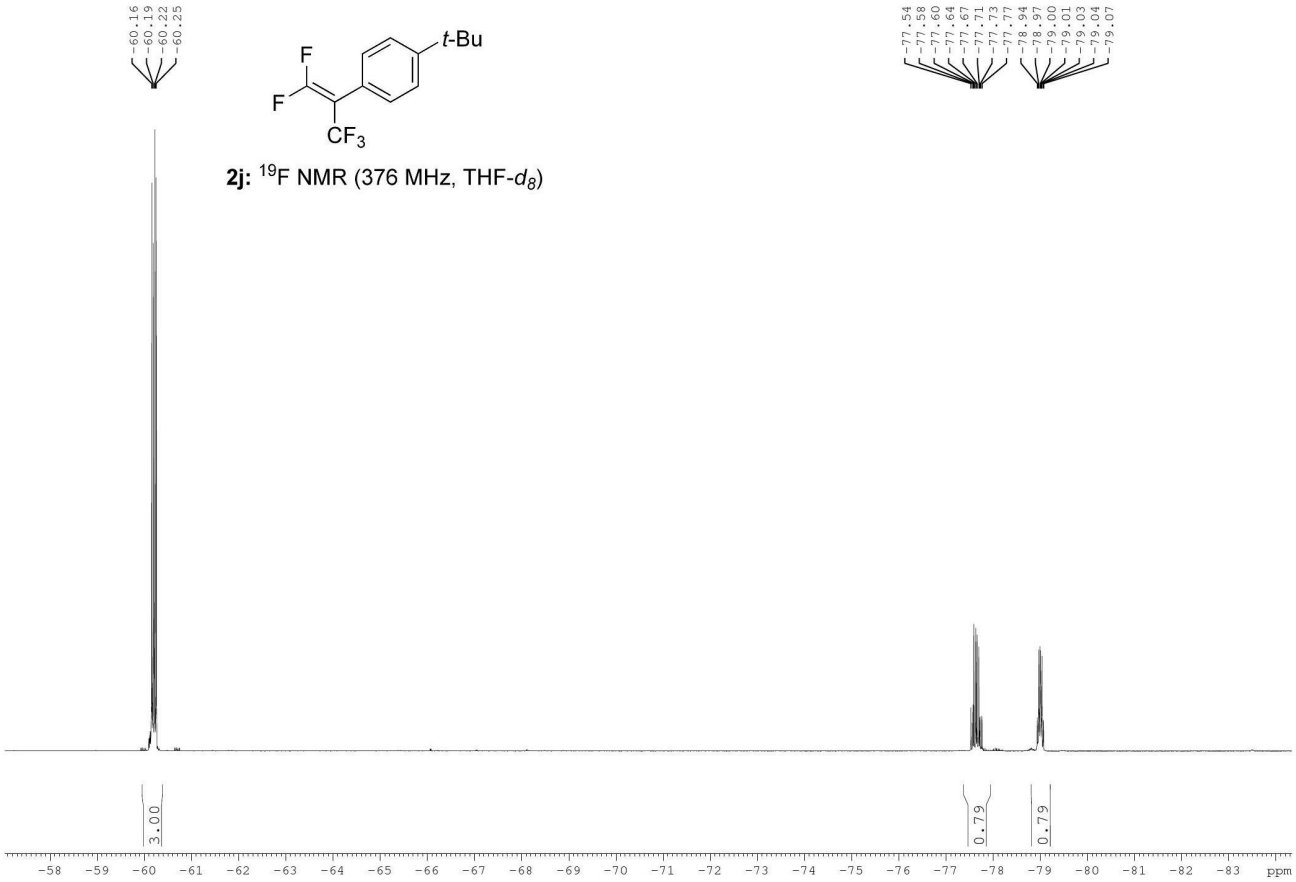
2h: ^{19}F NMR (376 MHz, $CDCl_3$)



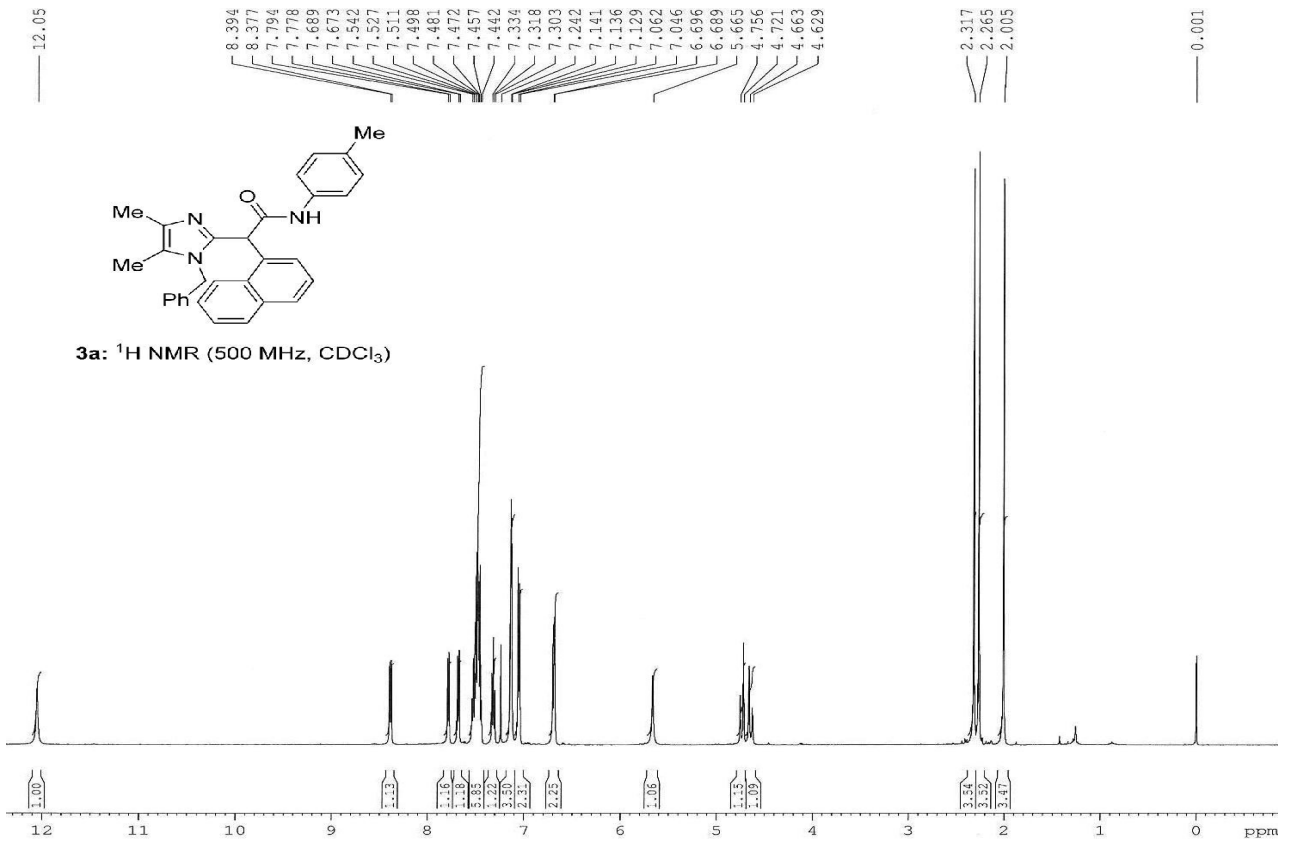
2j: ^{1}H NMR (400 MHz, THF-d_8)



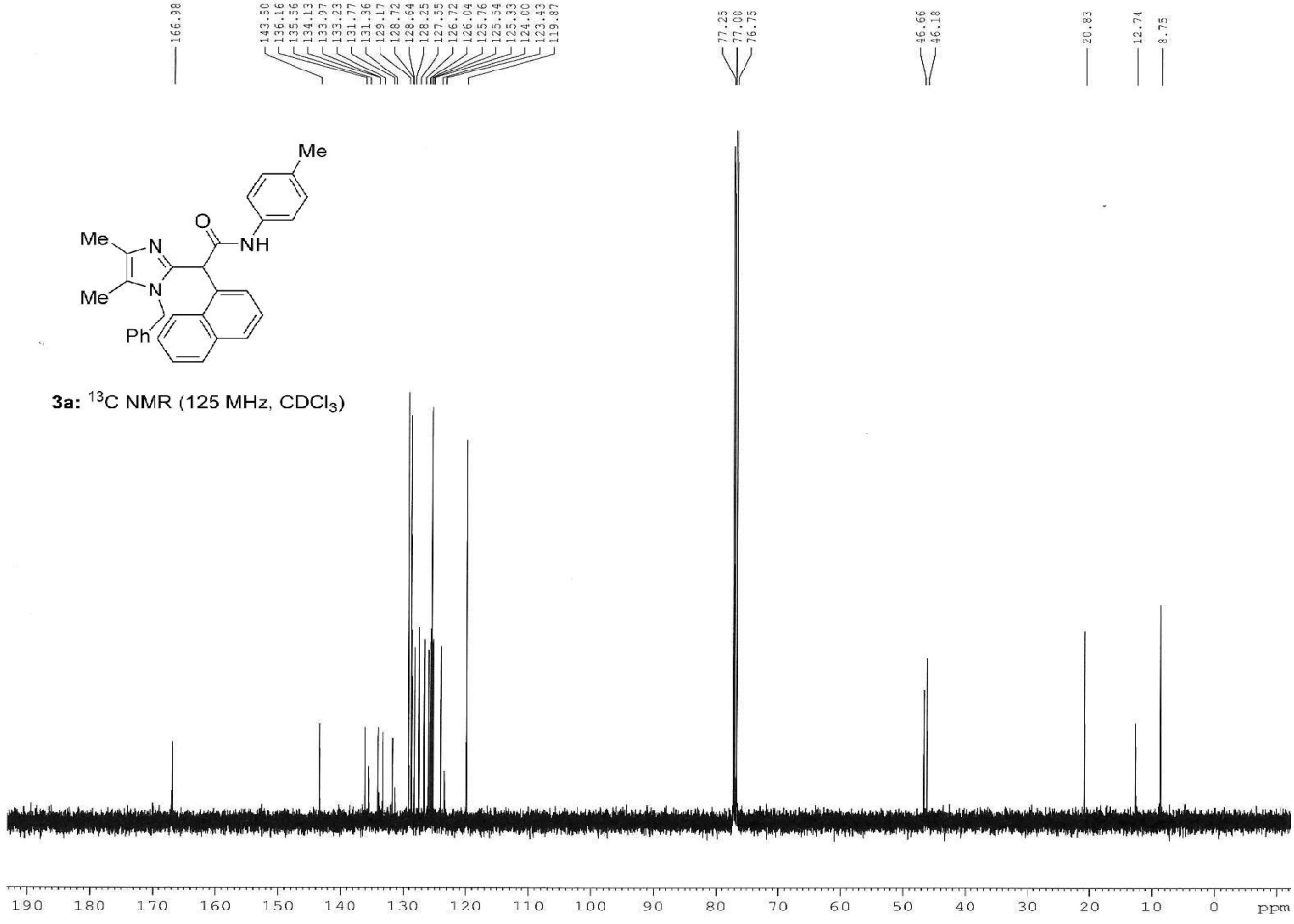
2j: ^{13}C NMR (100 MHz, THF-d_8)



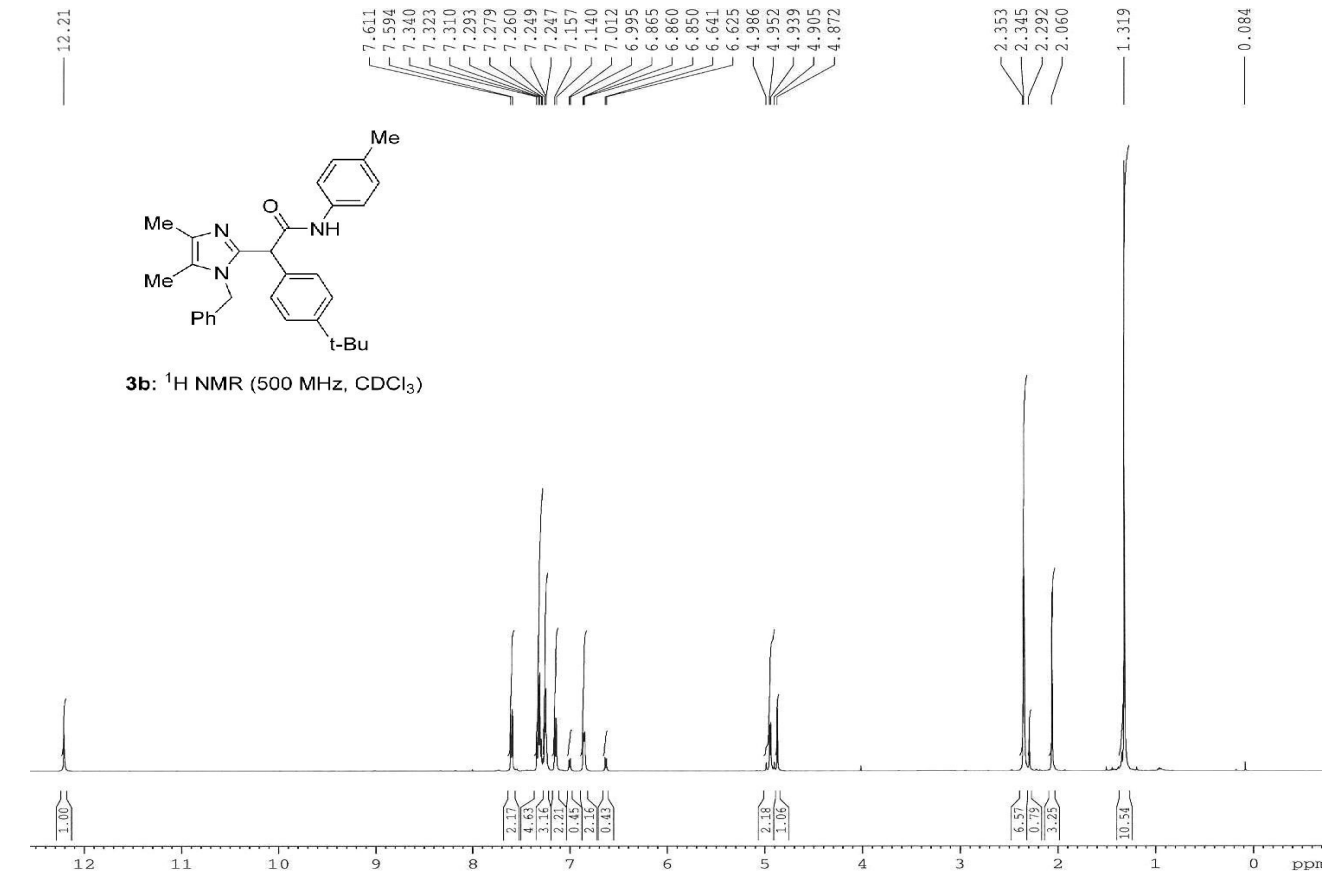
2j: ^{19}F NMR (376 MHz, THF-d_8)



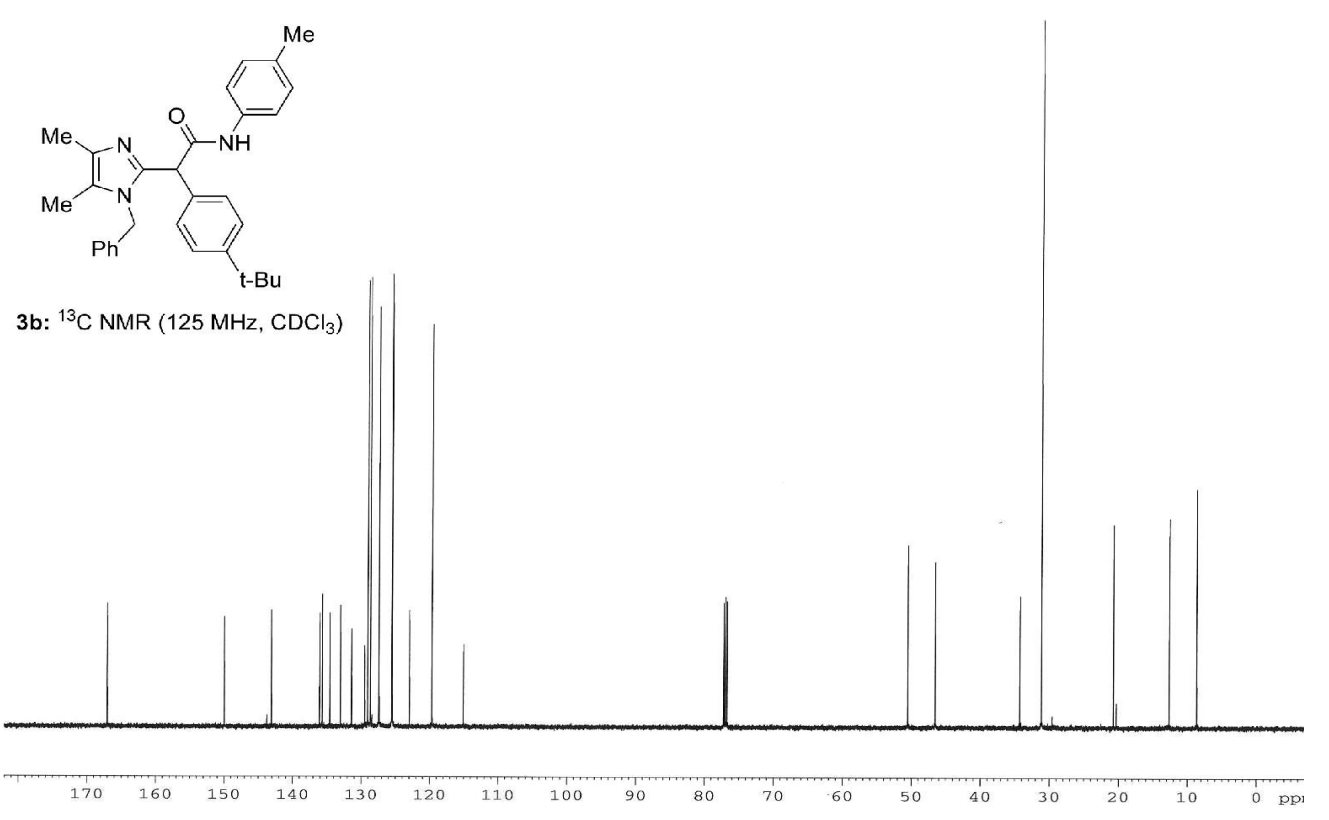
3a: ^{1}H NMR (500 MHz, $CDCl_3$)



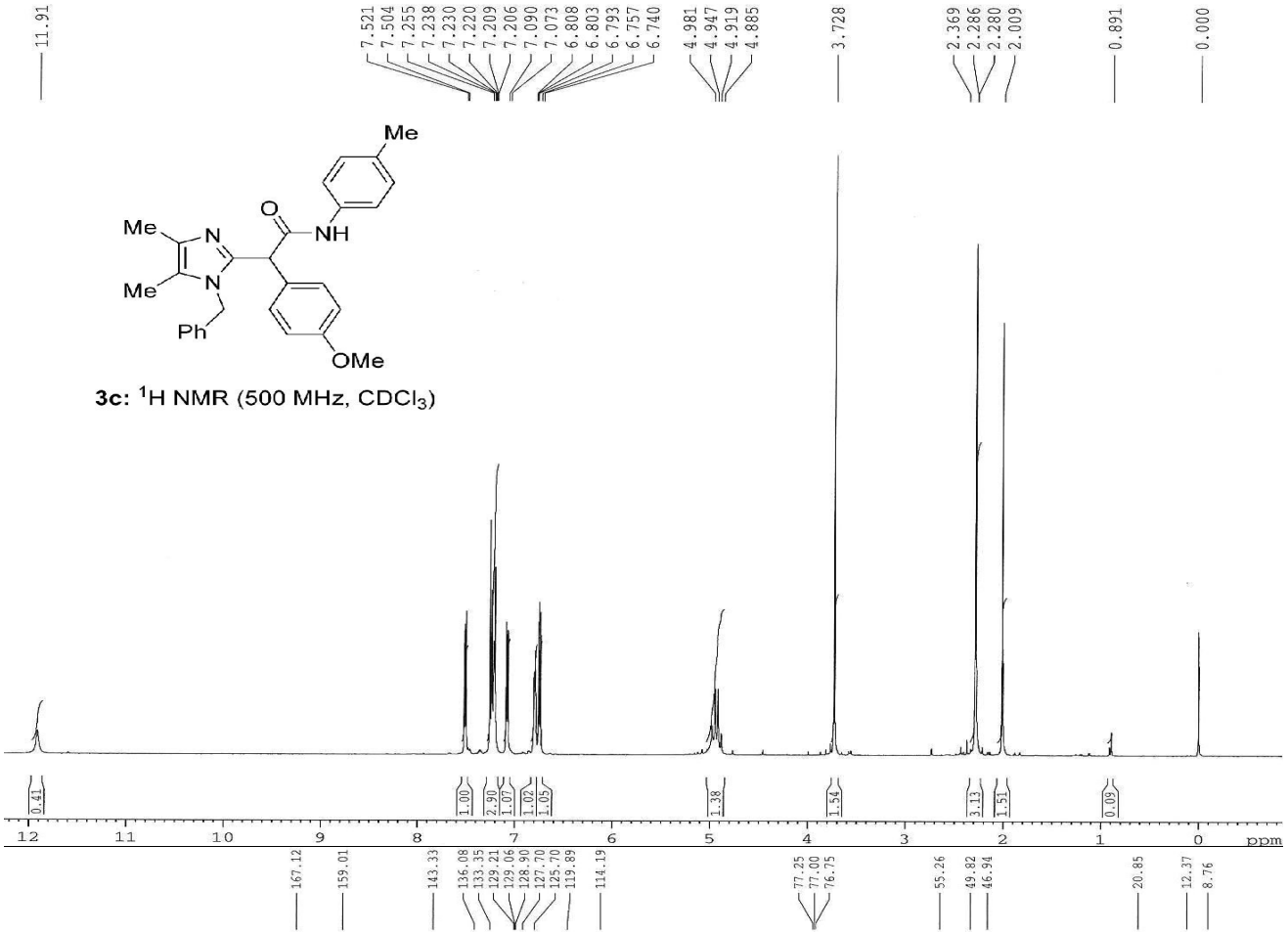
3a: ^{13}C NMR (125 MHz, $CDCl_3$)



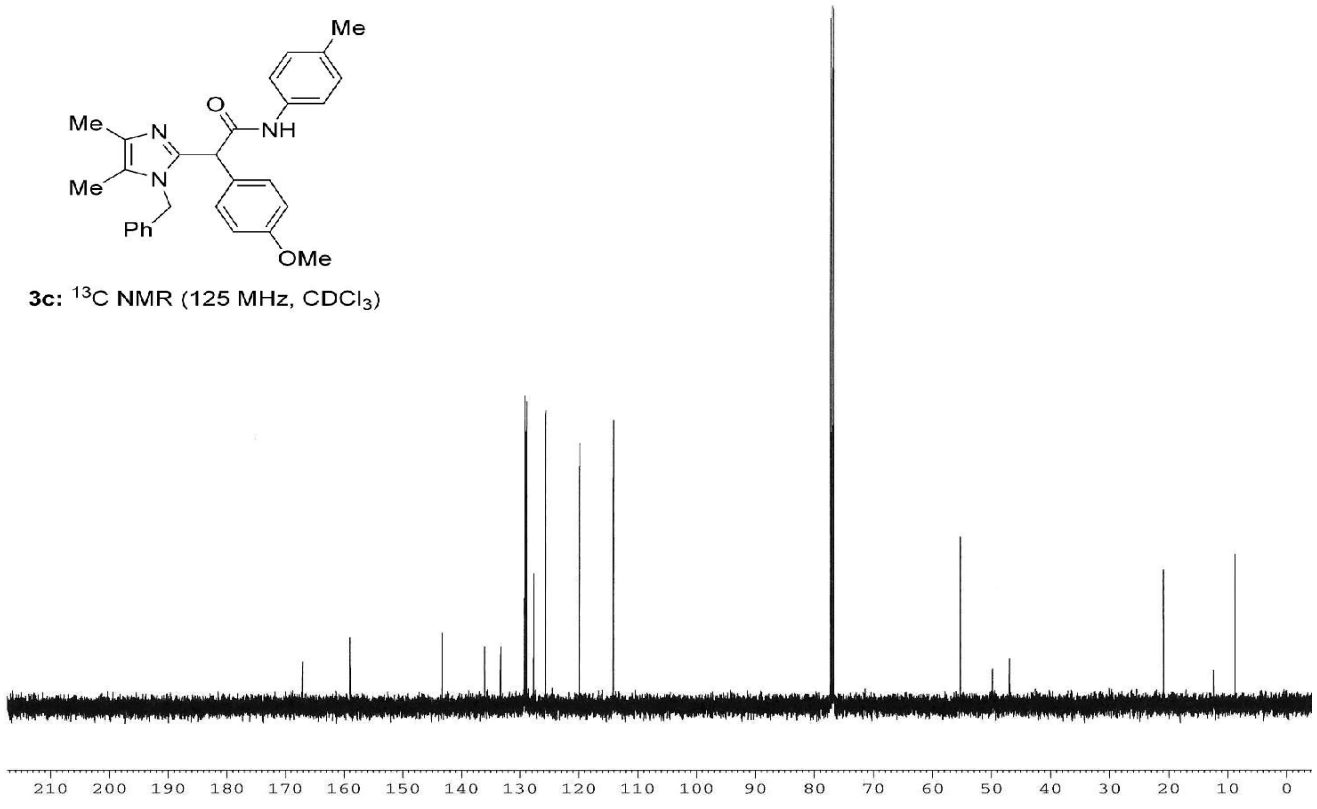
3b: ^{1}H NMR (500 MHz, $CDCl_3$)



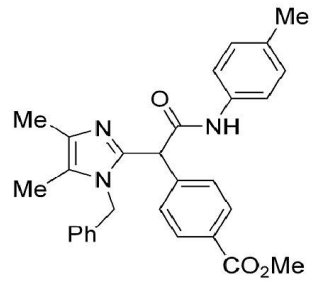
3b: ^{13}C NMR (125 MHz, $CDCl_3$)



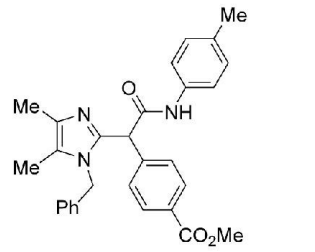
3c: ^{1}H NMR (500 MHz, $CDCl_3$)



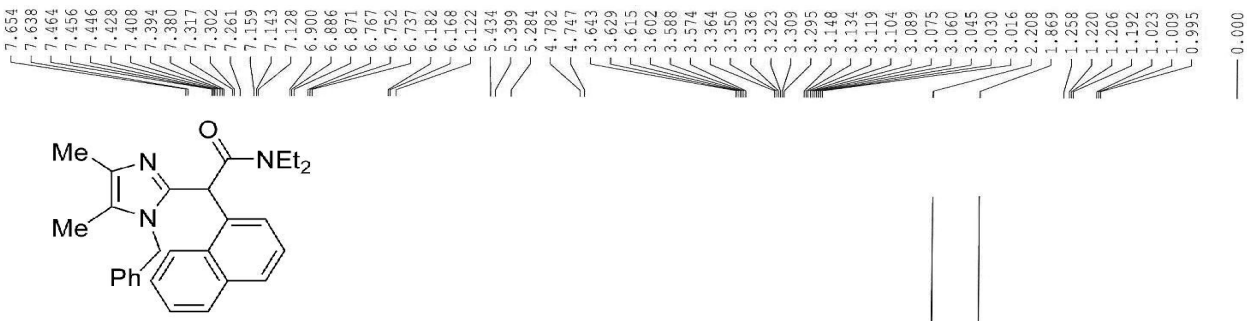
3c: ^{13}C NMR (125 MHz, $CDCl_3$)



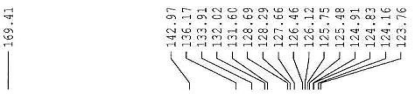
3d: ^{1}H NMR (200 MHz, $CDCl_3$)

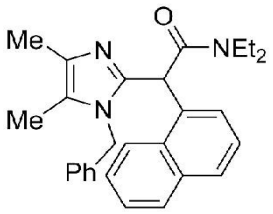


3d: ^{13}C NMR (50 MHz, $CDCl_3$)

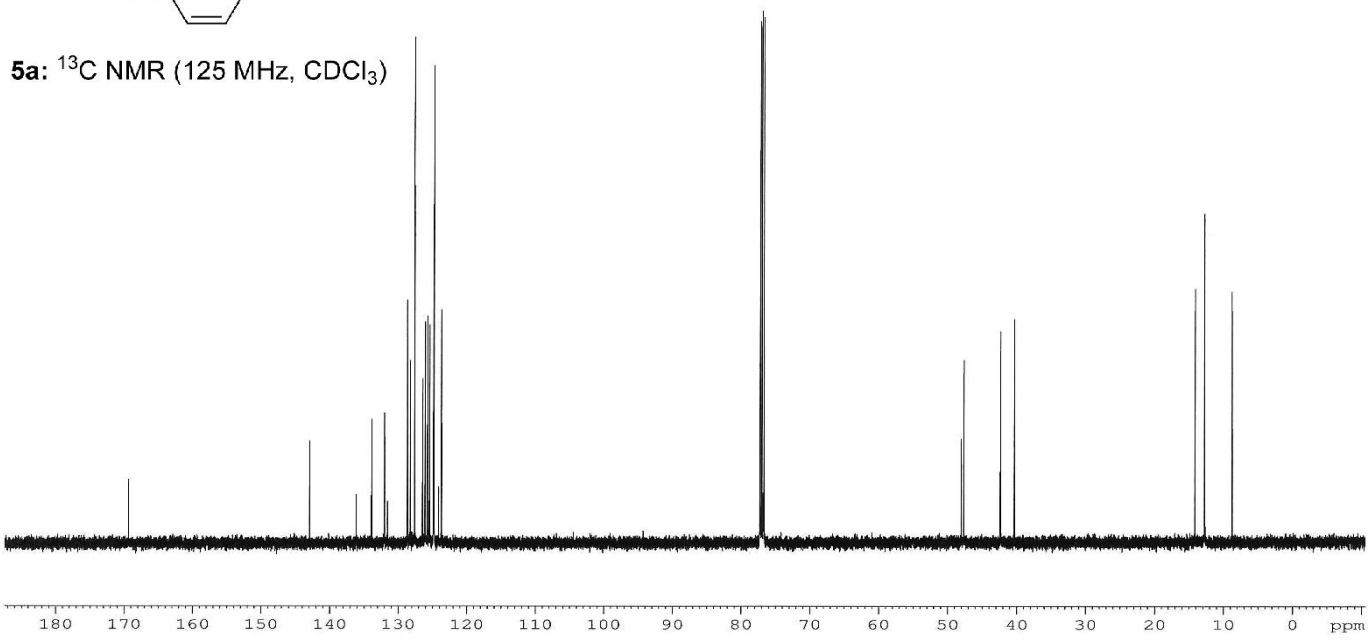


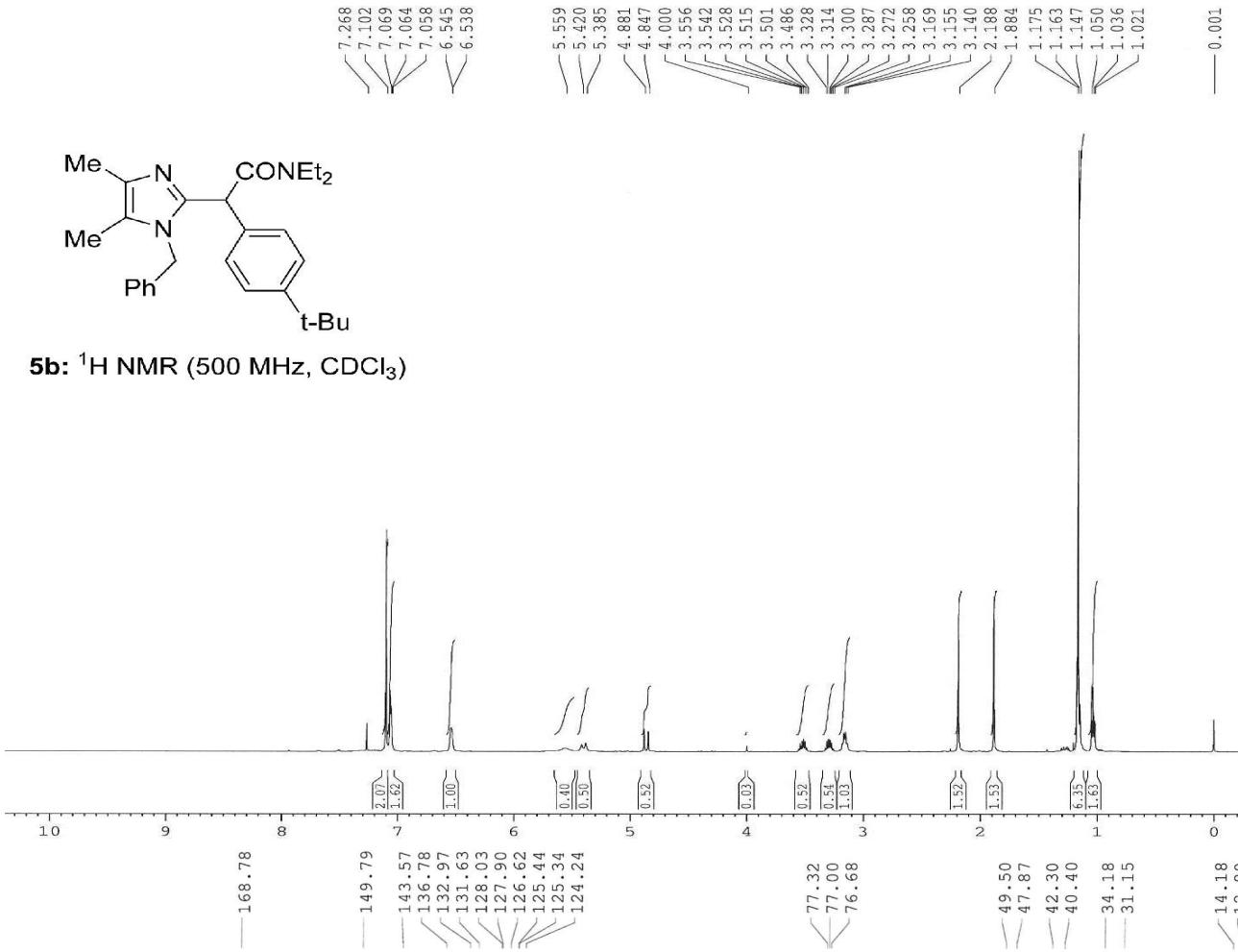
5a: ^{1}H NMR (500 MHz, $CDCl_3$)



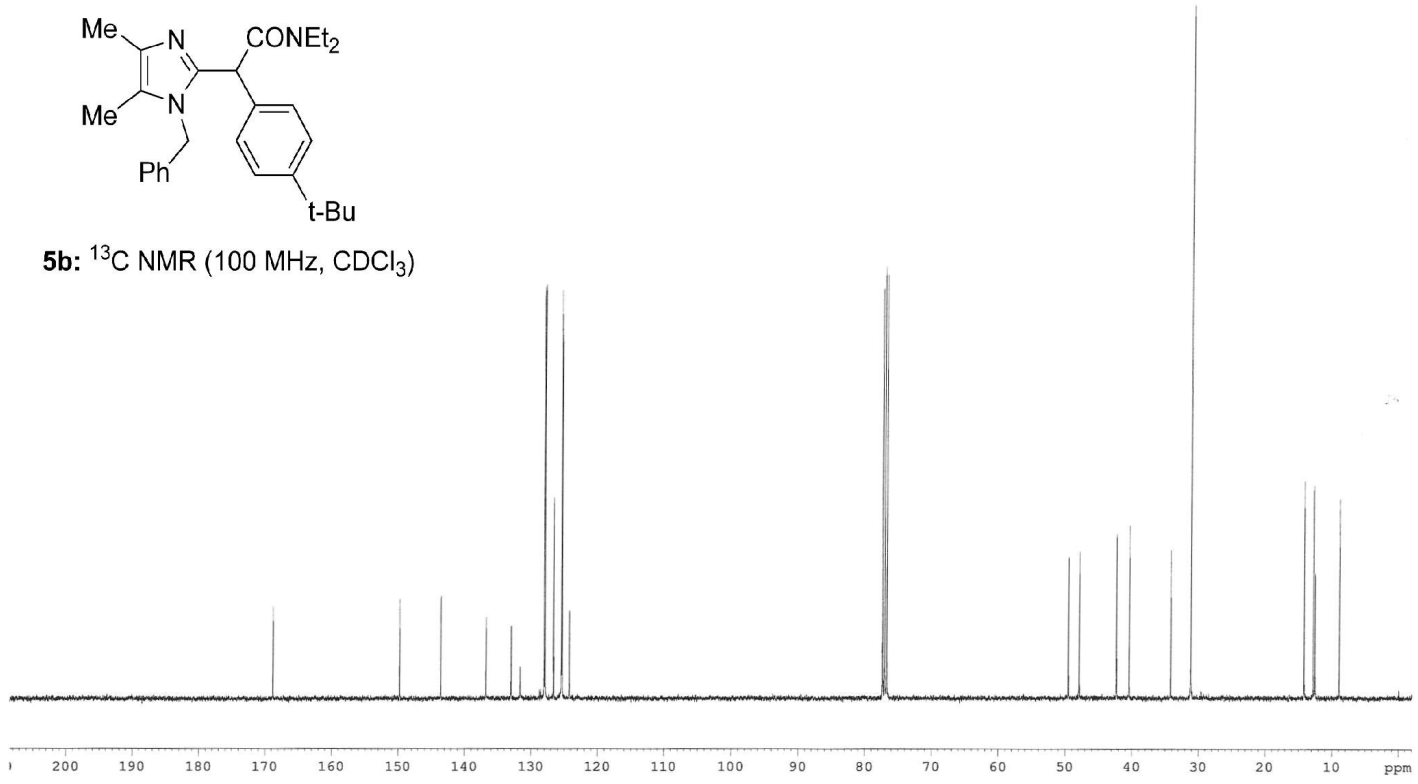


5a: ^{13}C NMR (125 MHz, $CDCl_3$)

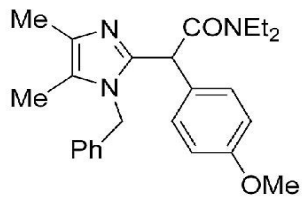




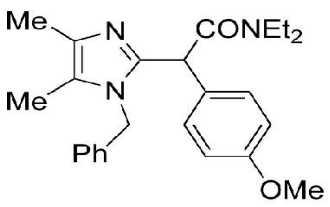
5b: ^{1}H NMR (500 MHz, $CDCl_3$)



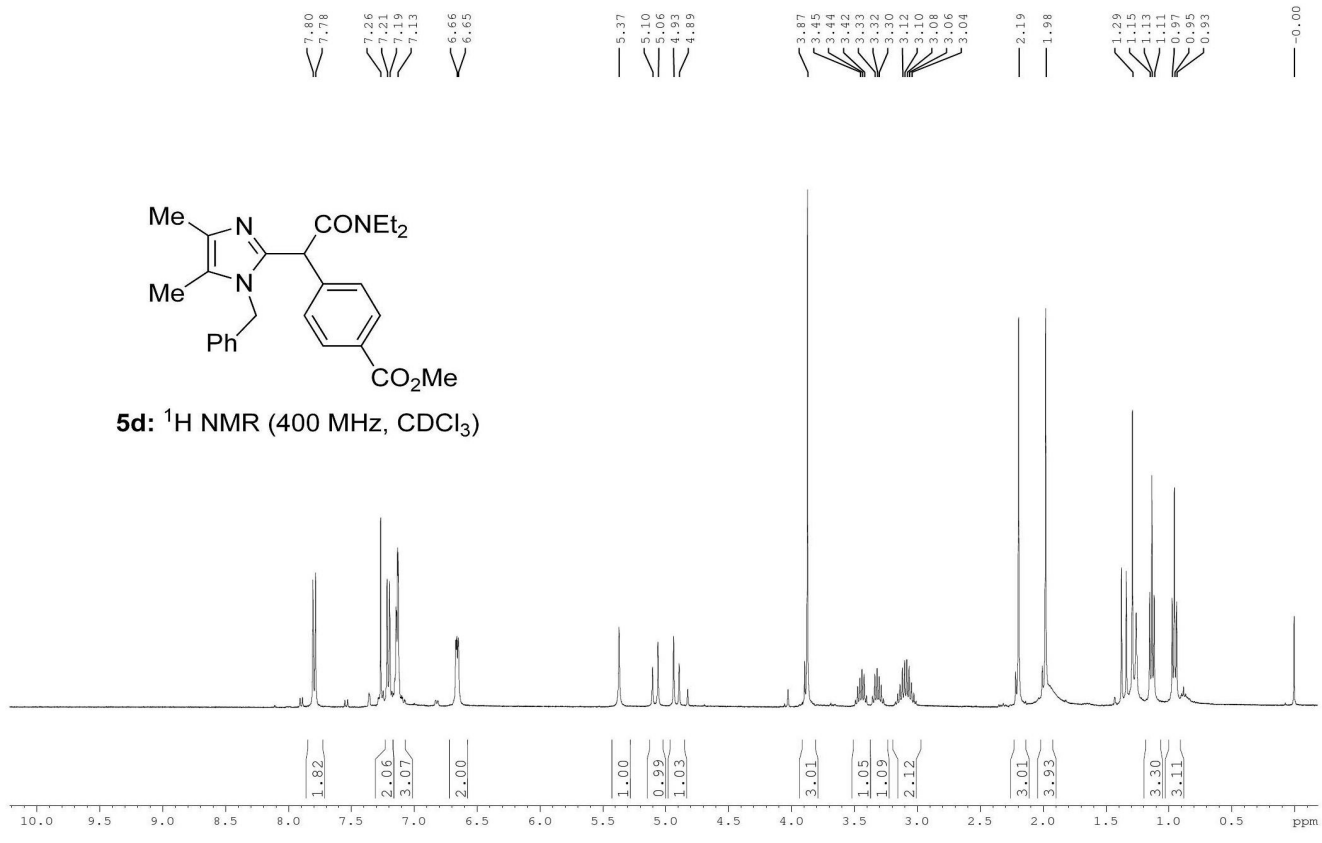
5b: ^{13}C NMR (100 MHz, $CDCl_3$)



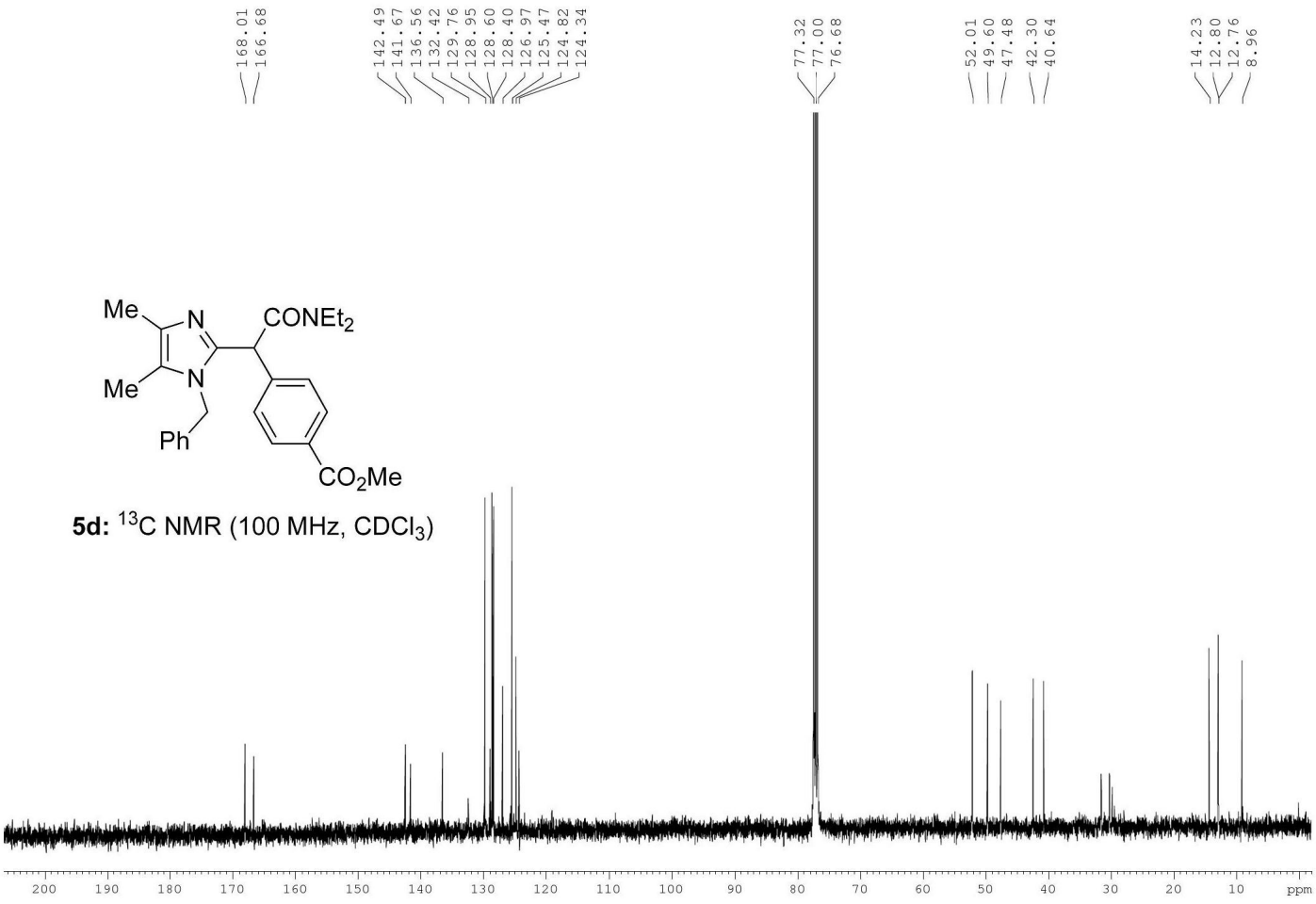
5c: ^{1}H NMR (500 MHz, $CDCl_3$)



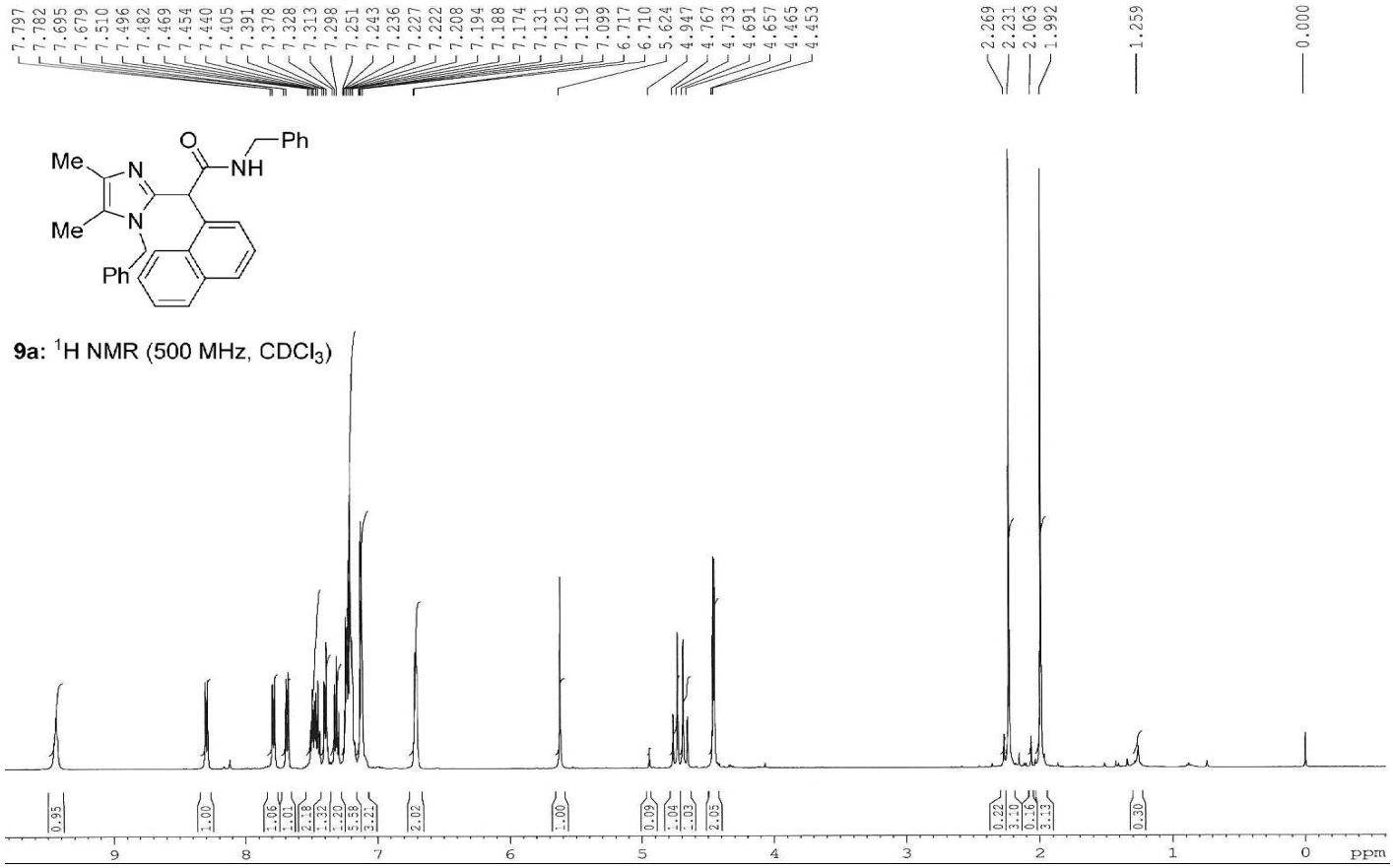
5c: ^{13}C NMR (125 MHz, $CDCl_3$)



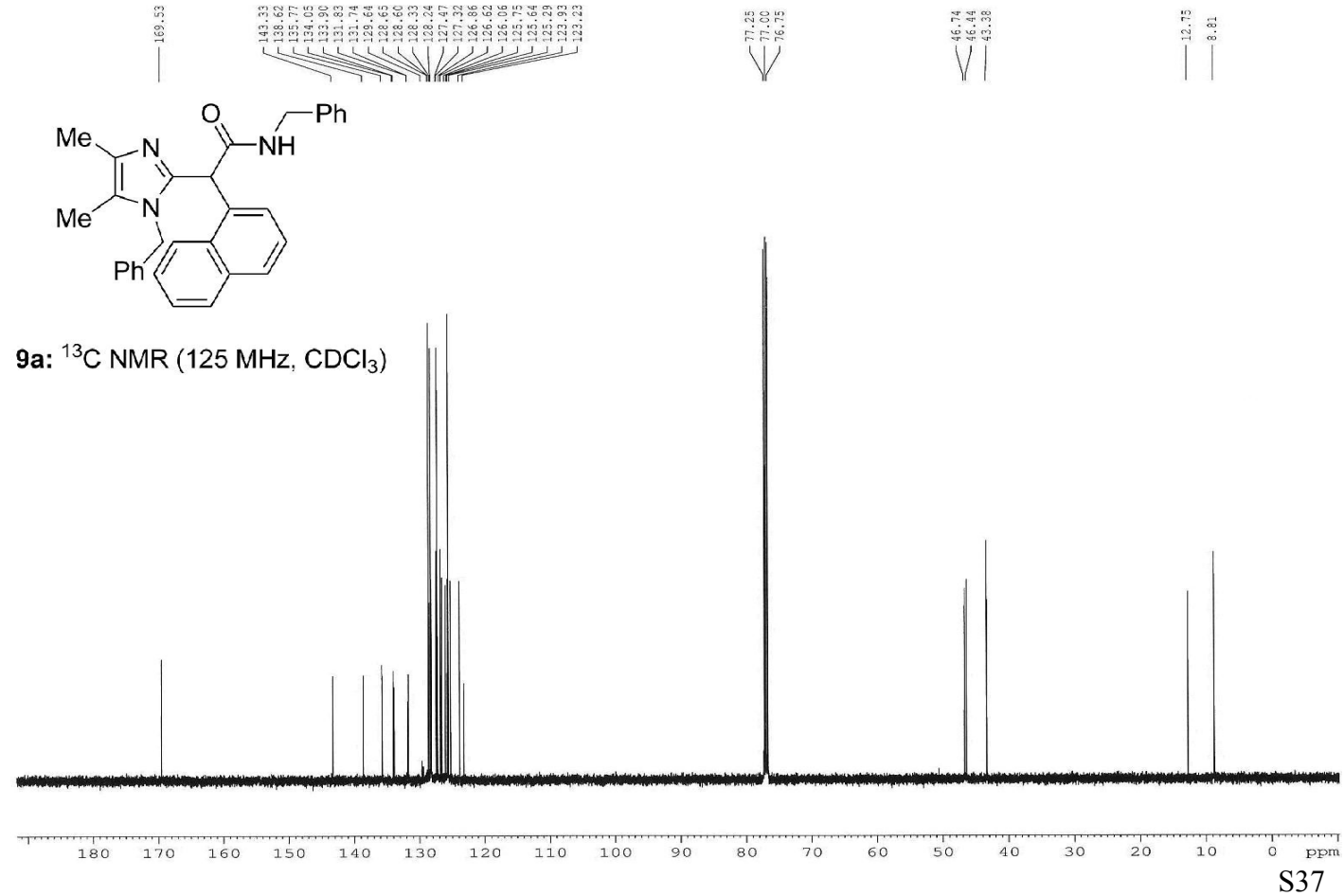
5d: ^{1}H NMR (400 MHz, $CDCl_3$)



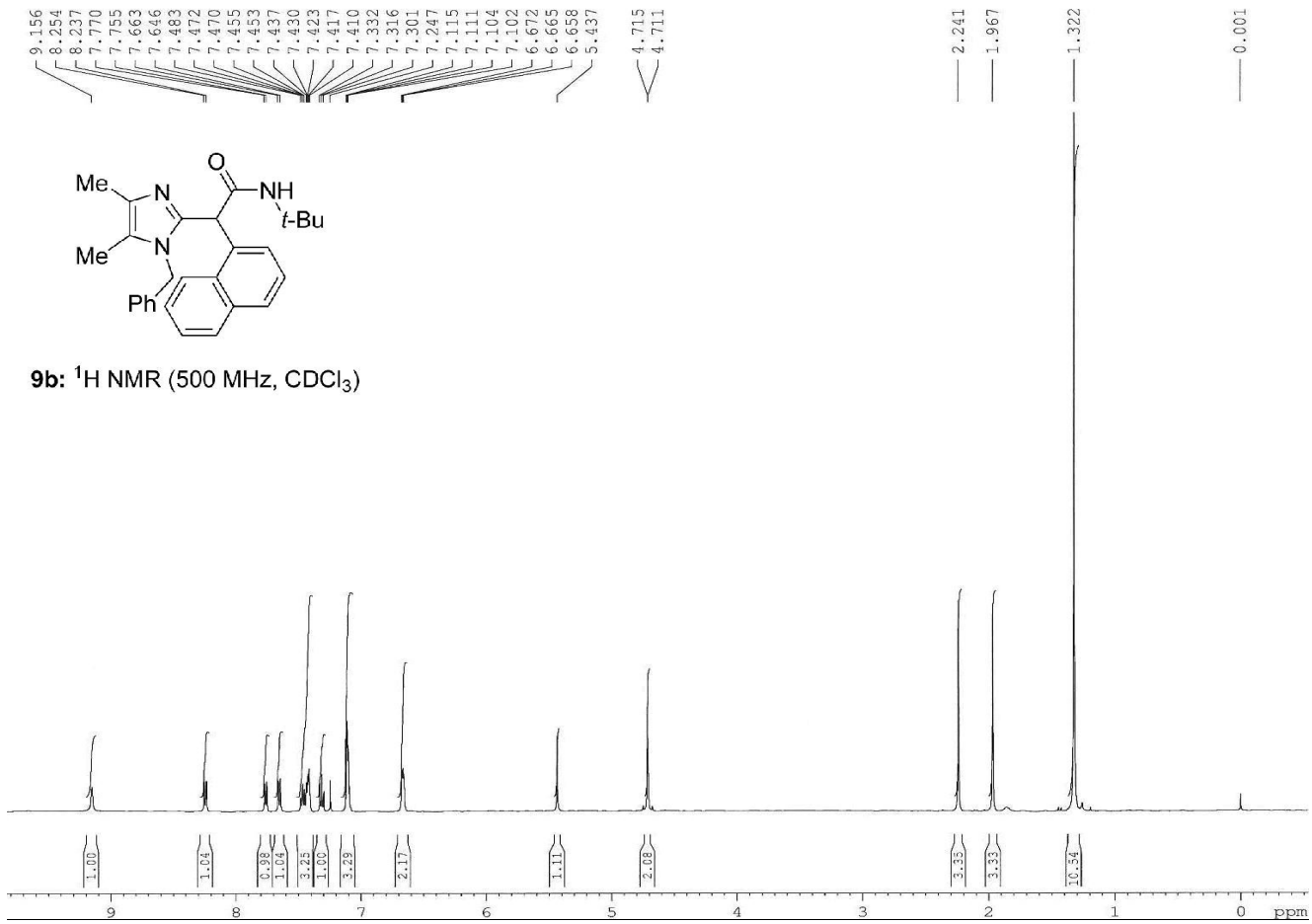
5d: ^{13}C NMR (100 MHz, $CDCl_3$)



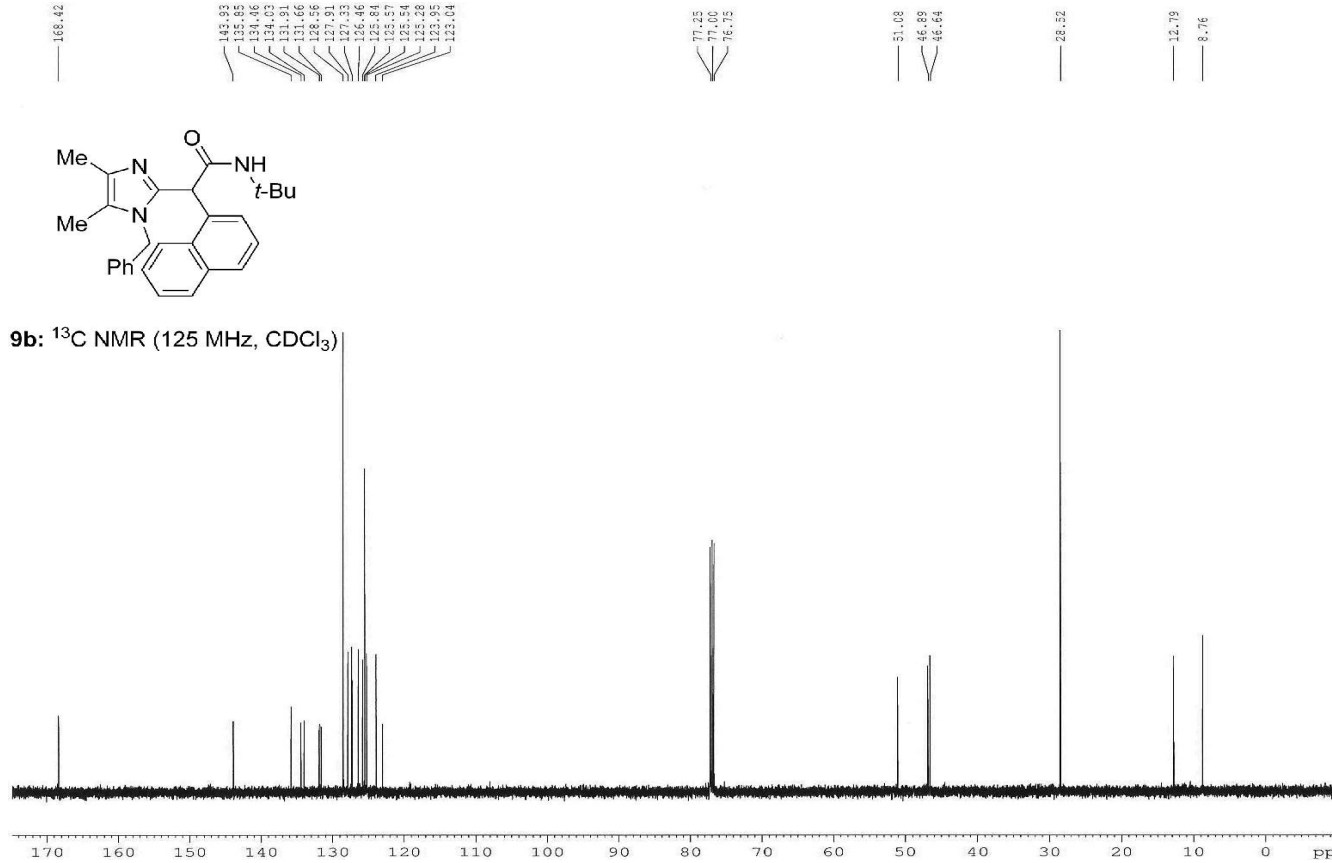
9a: ^{1}H NMR (500 MHz, $CDCl_3$)



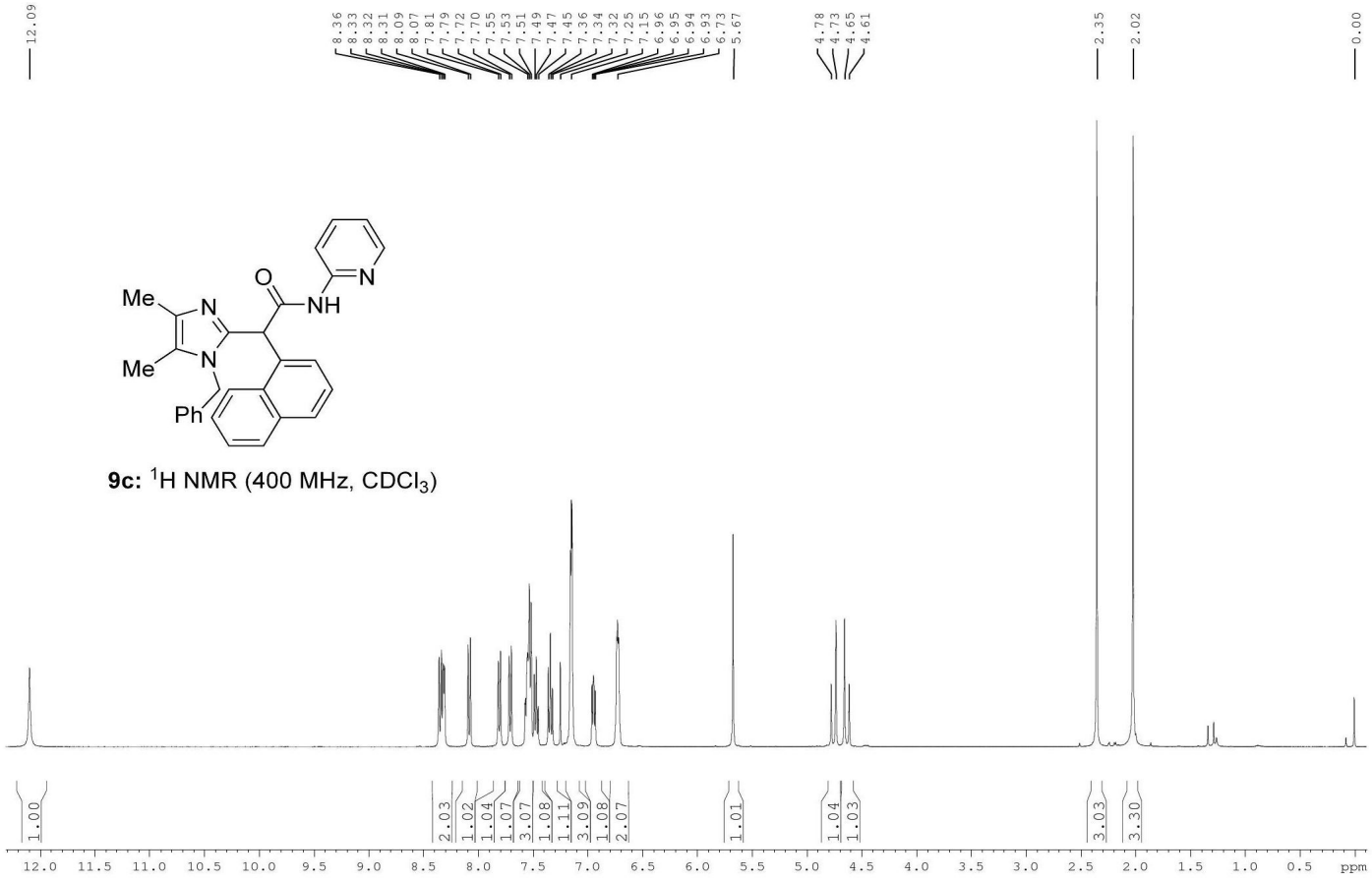
9a: ^{13}C NMR (125 MHz, $CDCl_3$)



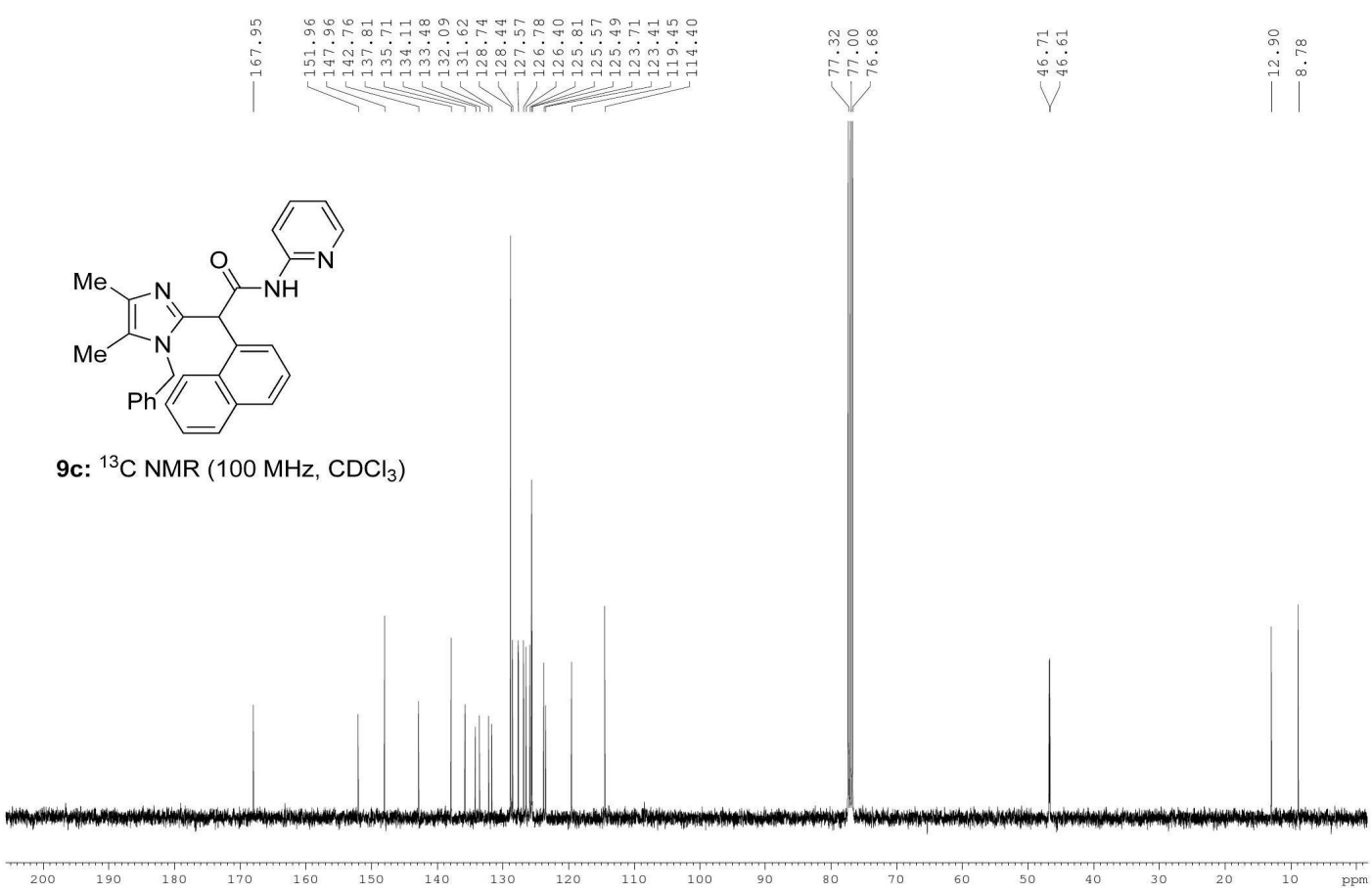
9b: ^{1}H NMR (500 MHz, $CDCl_3$)



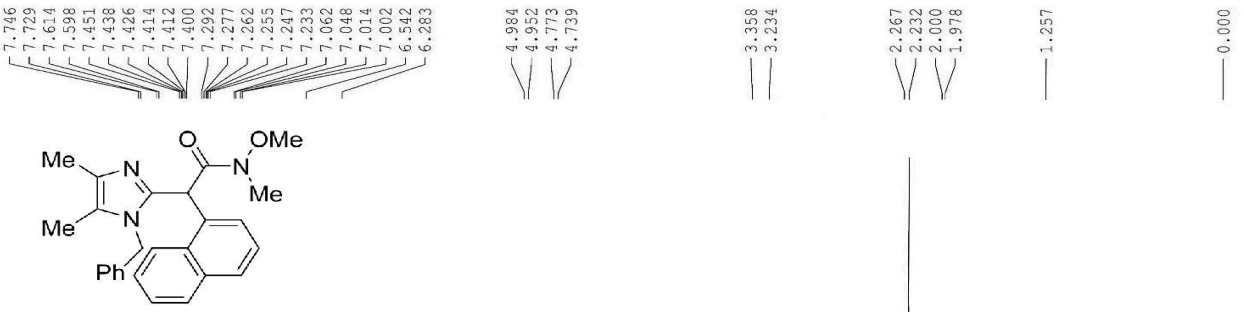
9b: ^{13}C NMR (125 MHz, $CDCl_3$)



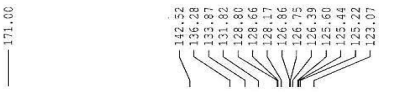
9c: ^{1}H NMR (400 MHz, $CDCl_3$)

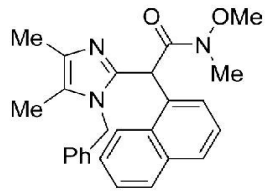


9c: ^{13}C NMR (100 MHz, $CDCl_3$)

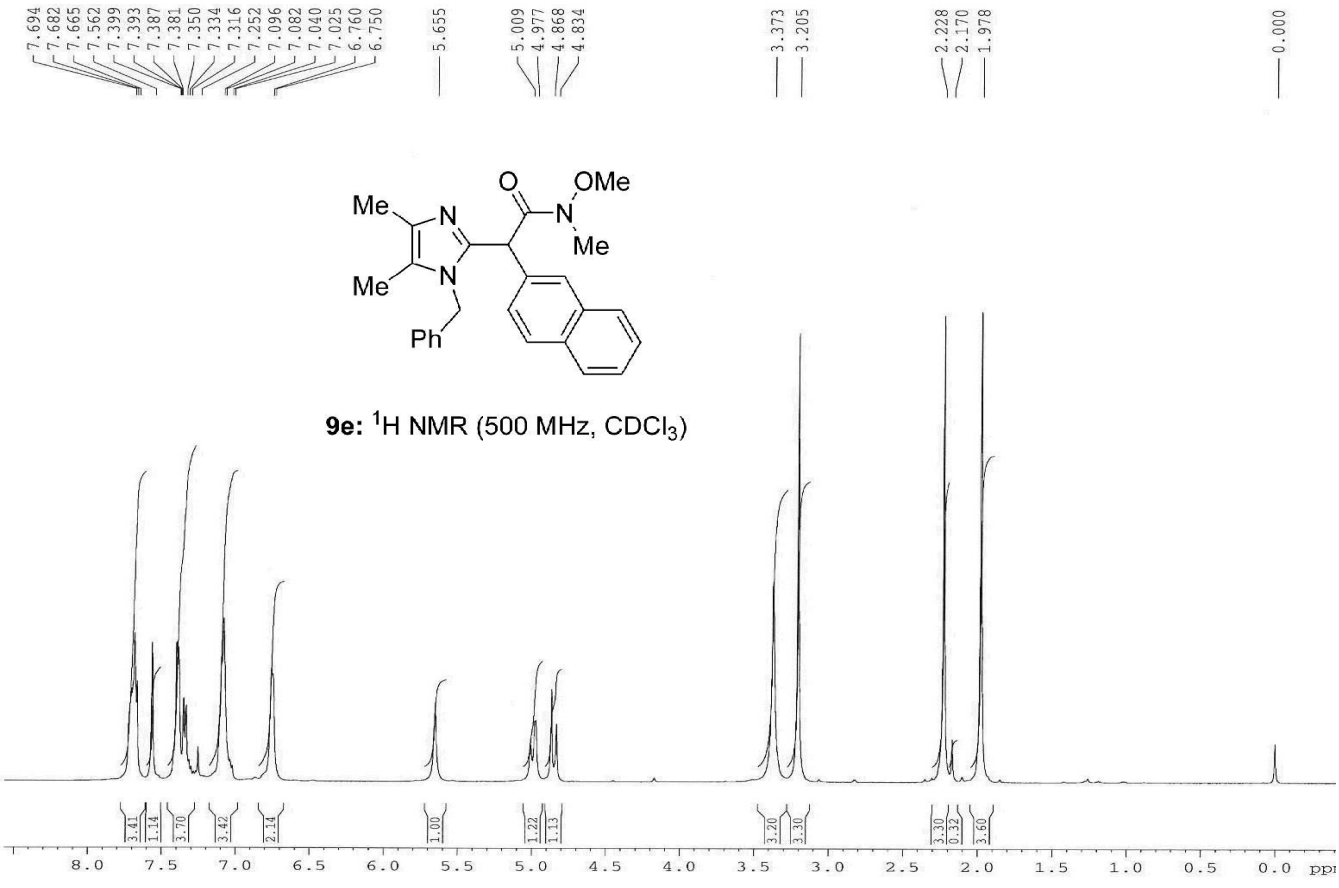


9d: ^{1}H NMR (500 MHz, $CDCl_3$)

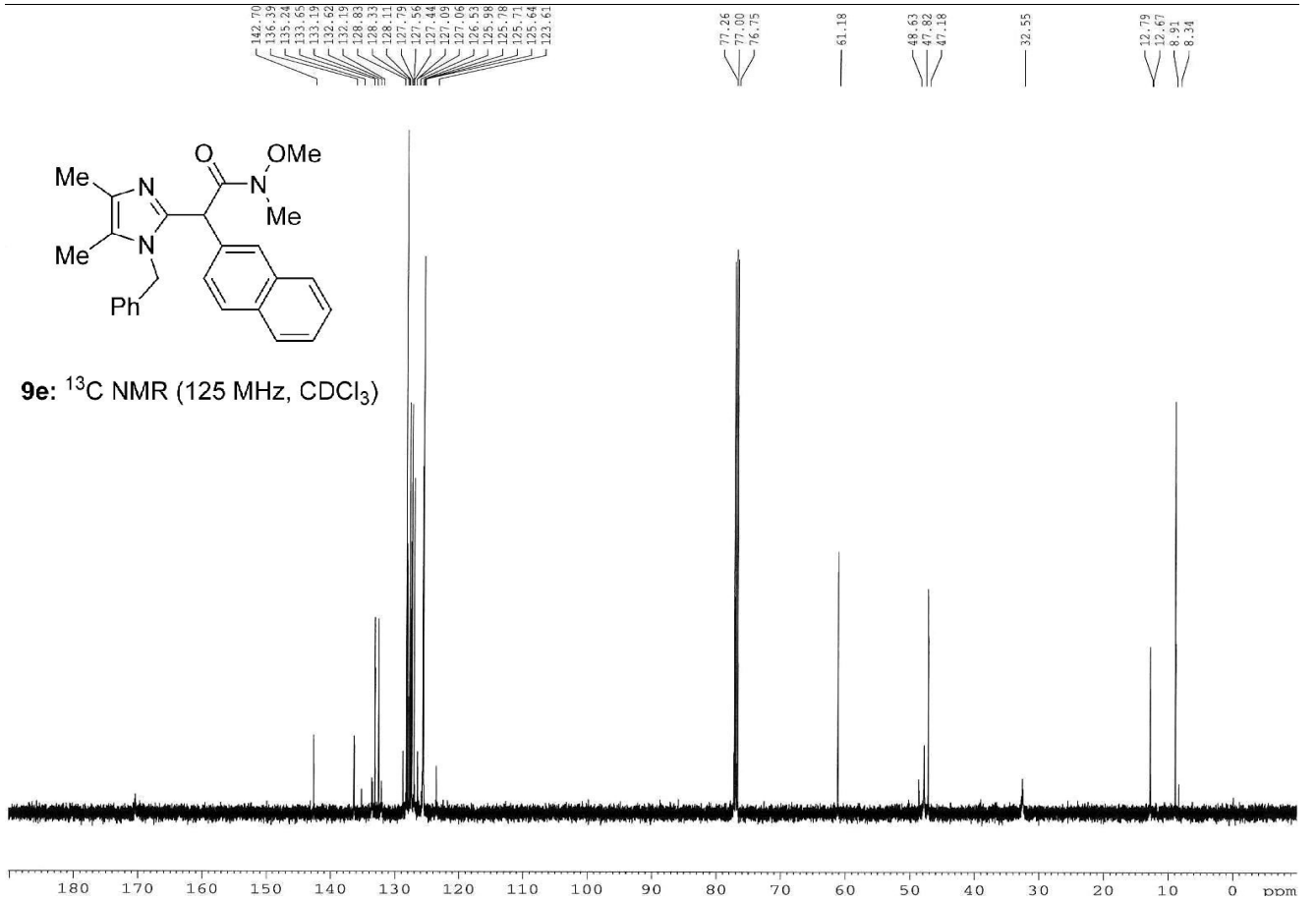




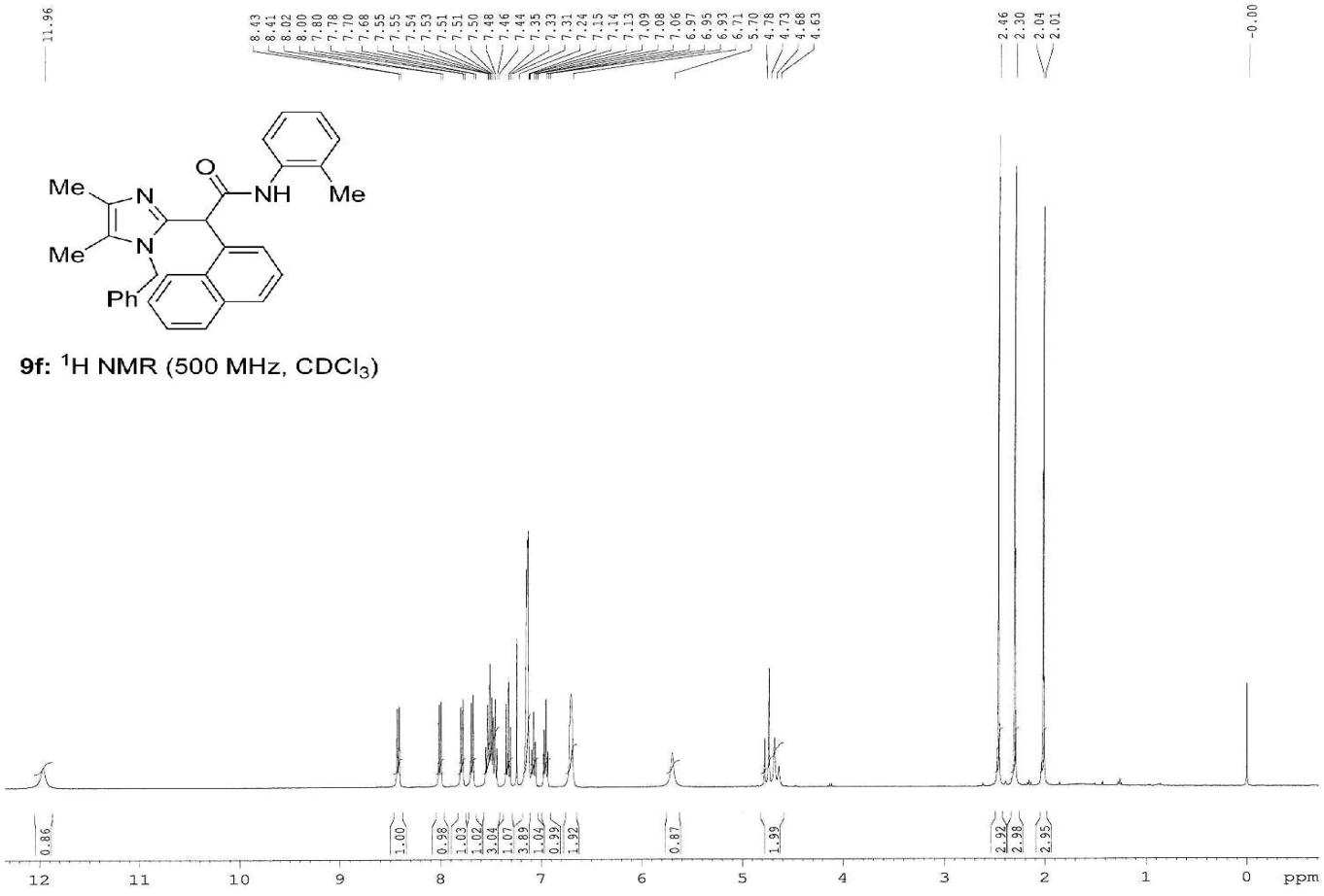
9d: ^{13}C NMR (125 MHz, $CDCl_3$)



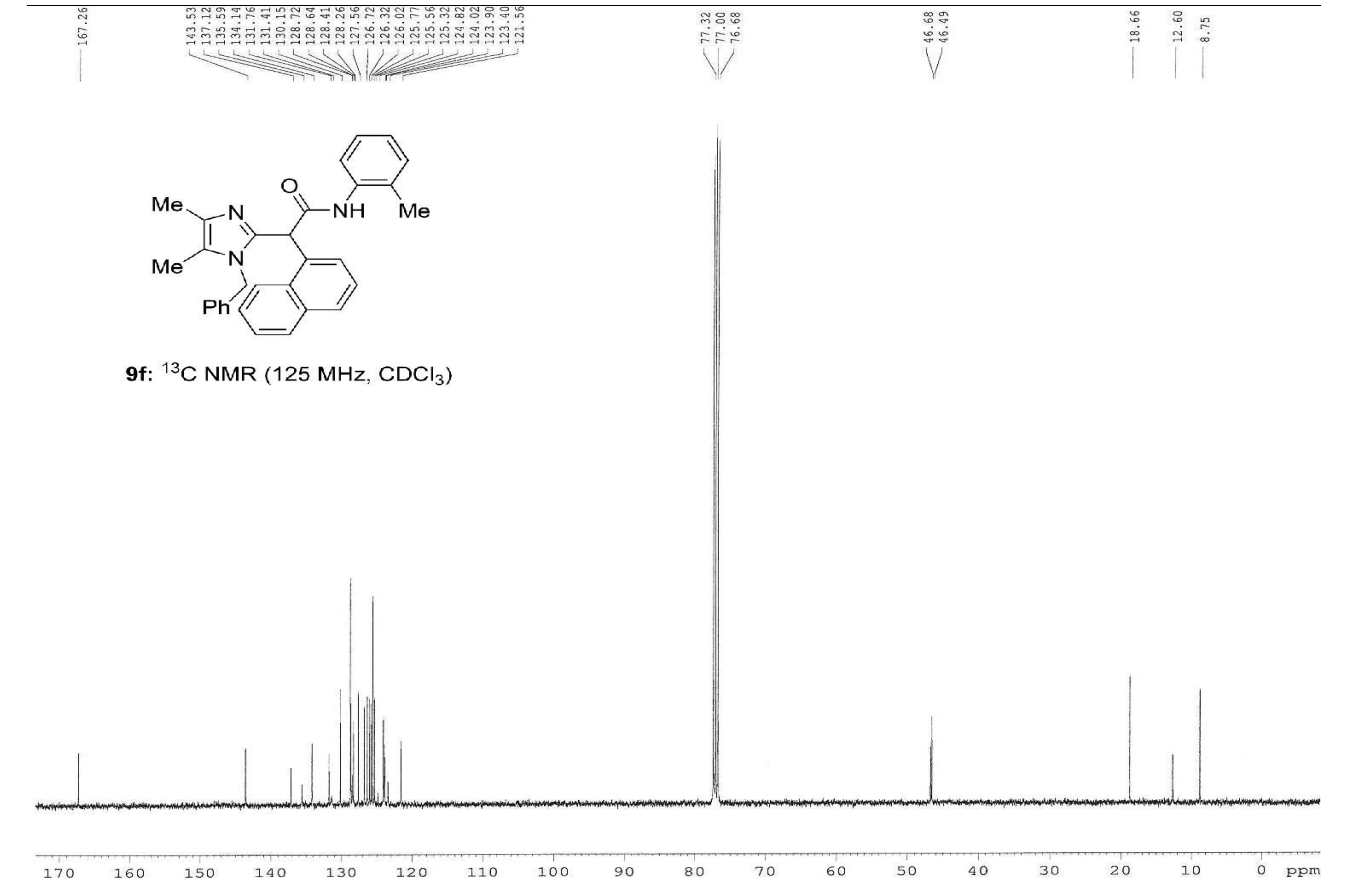
9e: ^{1}H NMR (500 MHz, $CDCl_3$)



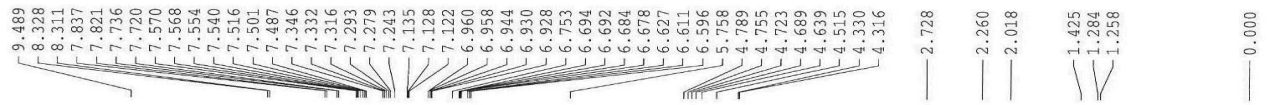
9e: ^{13}C NMR (125 MHz, $CDCl_3$)

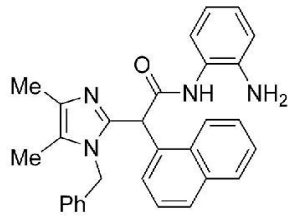


9f: ^{1}H NMR (500 MHz, $CDCl_3$)

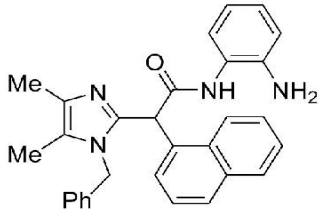


9f: ^{13}C NMR (125 MHz, $CDCl_3$)

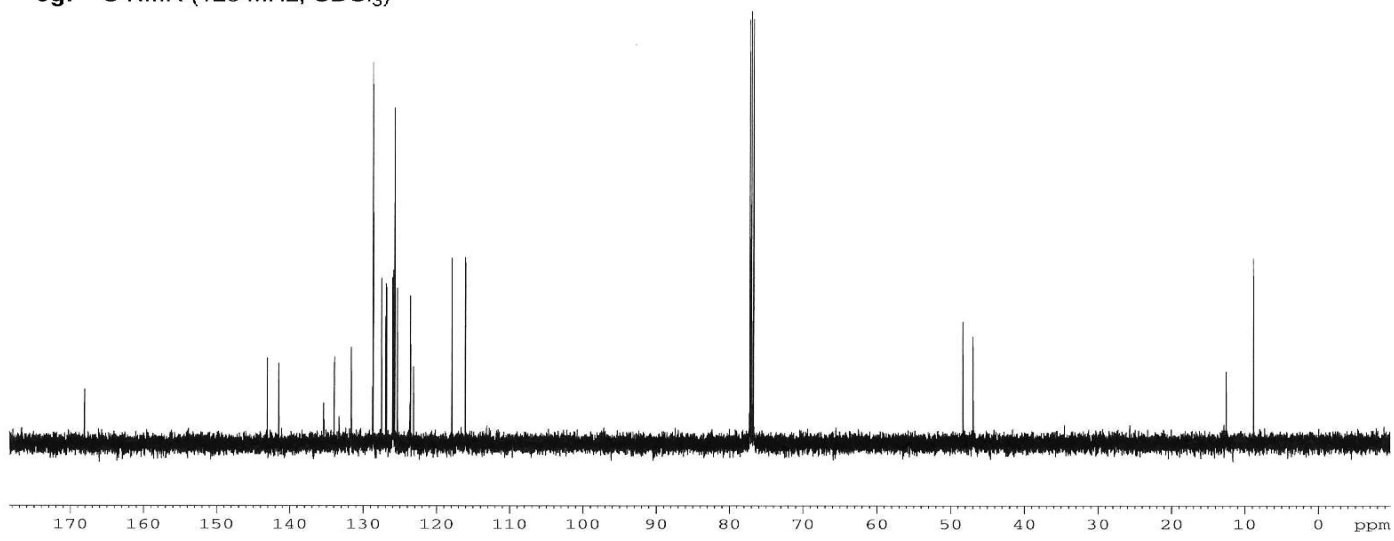


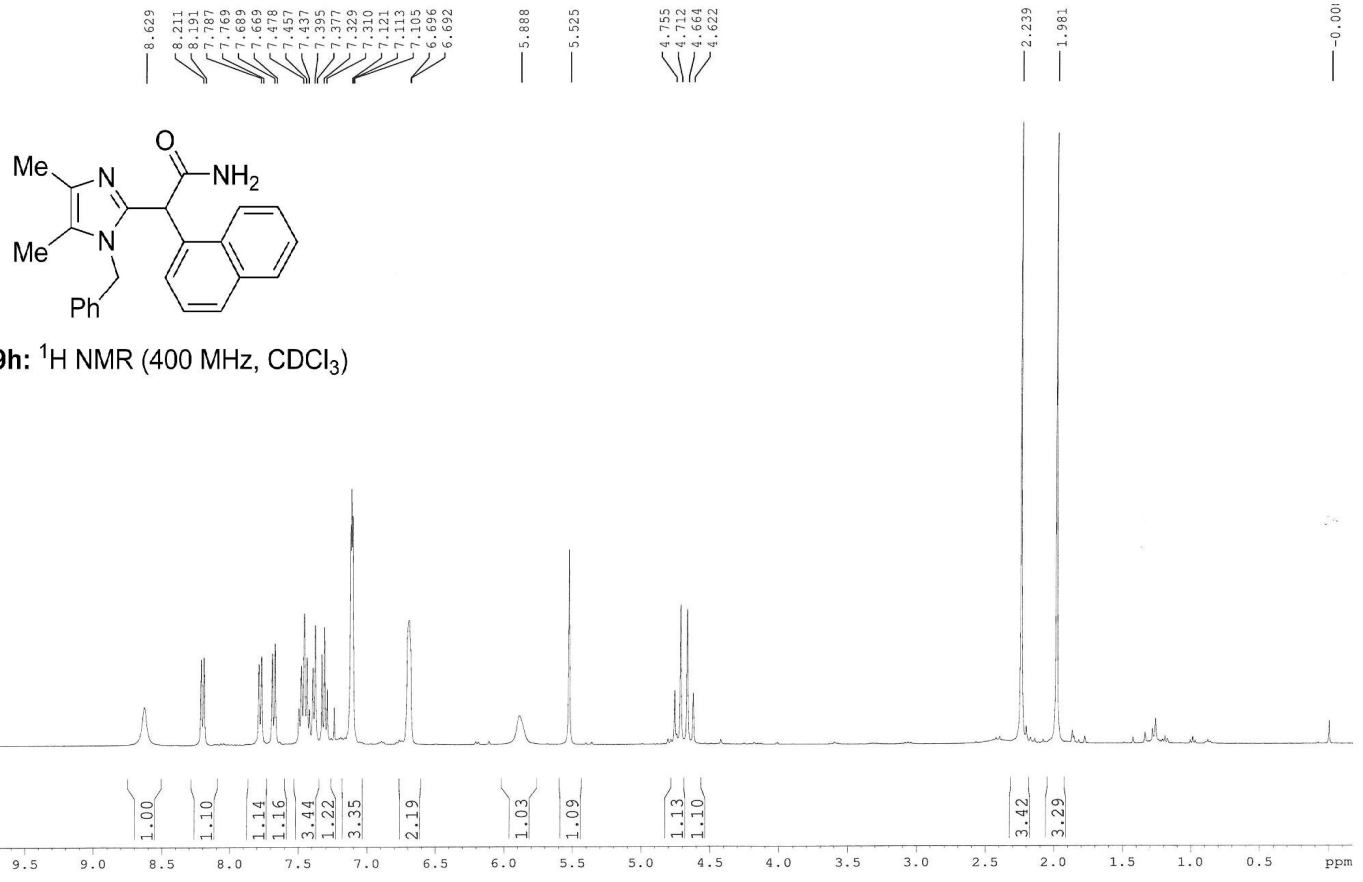


9g: ^{1}H NMR (500 MHz, $CDCl_3$)

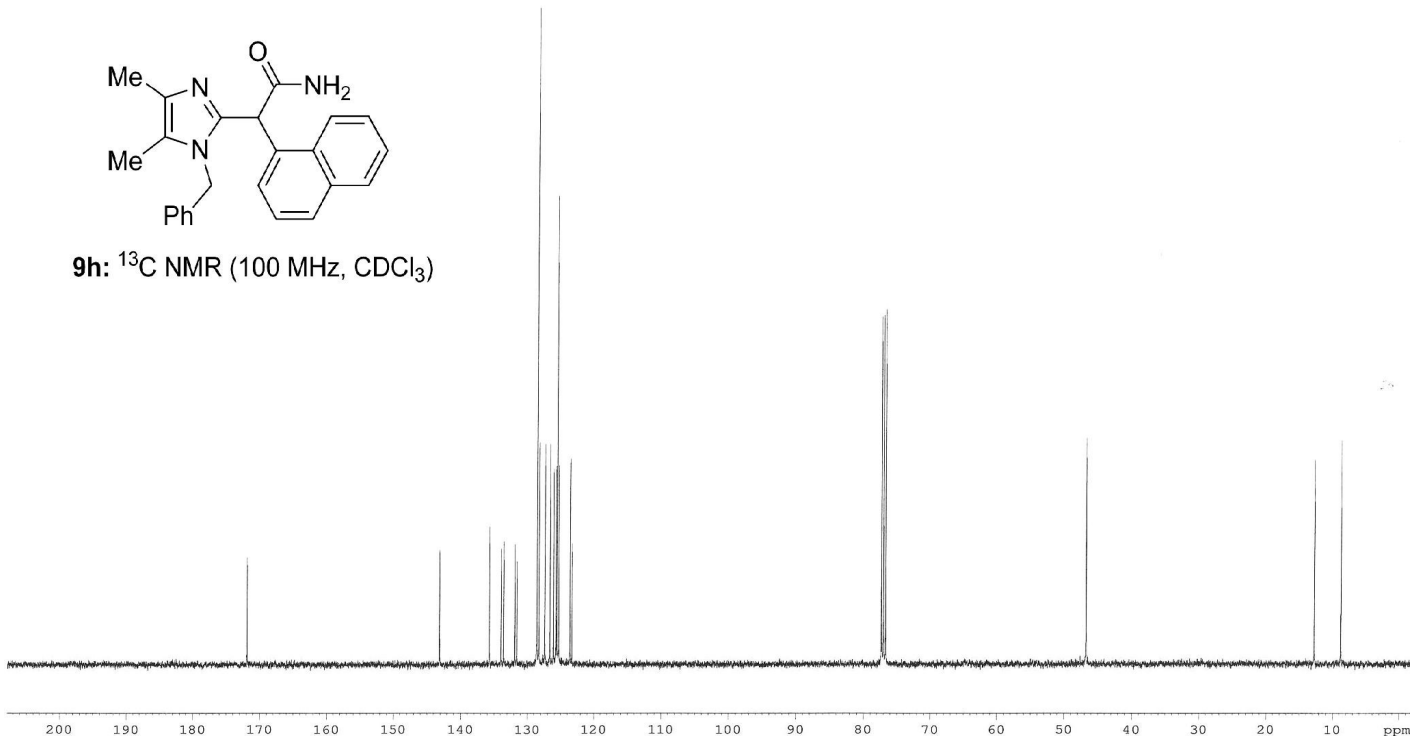


9g: ^{13}C NMR (125 MHz, $CDCl_3$)

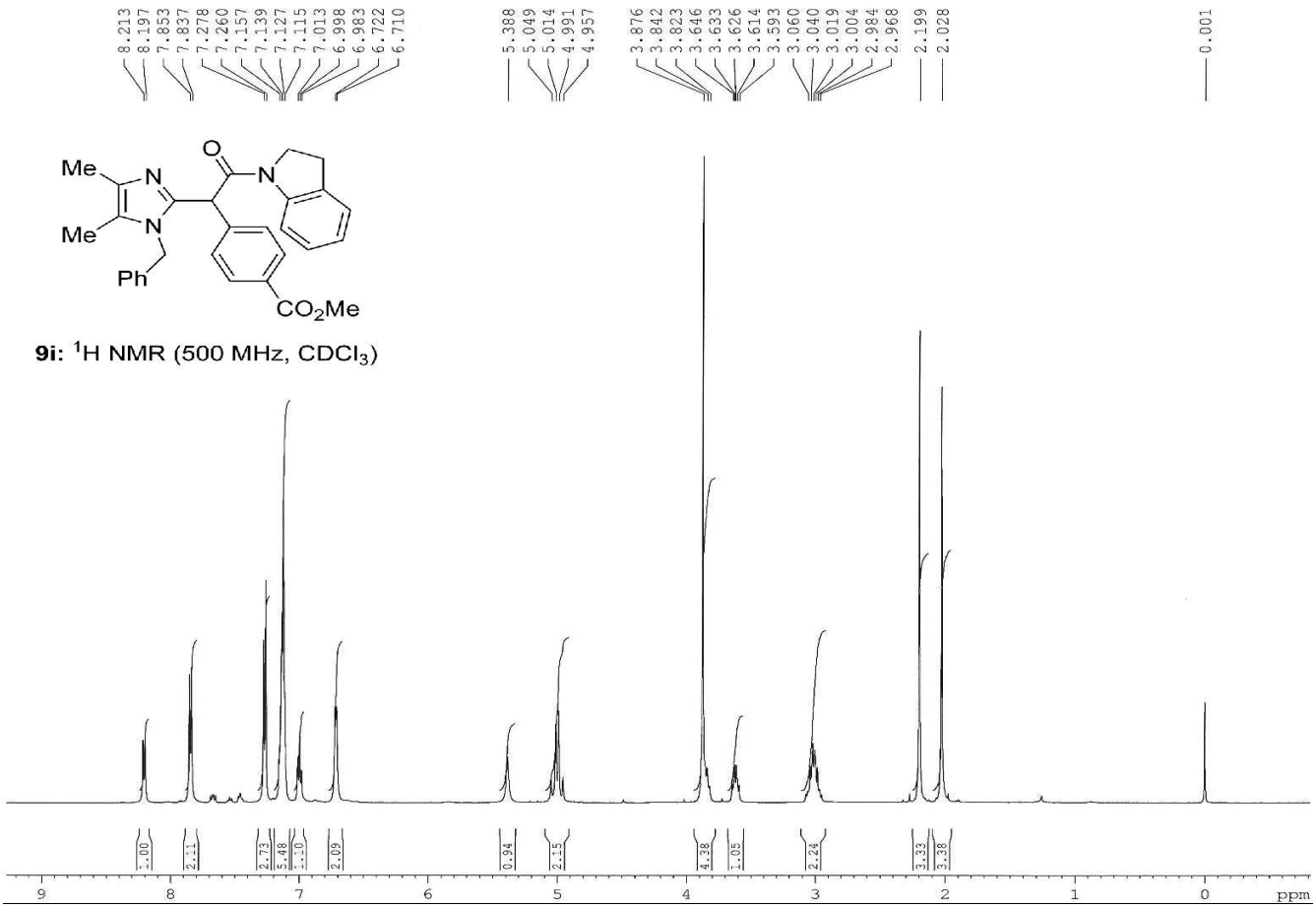




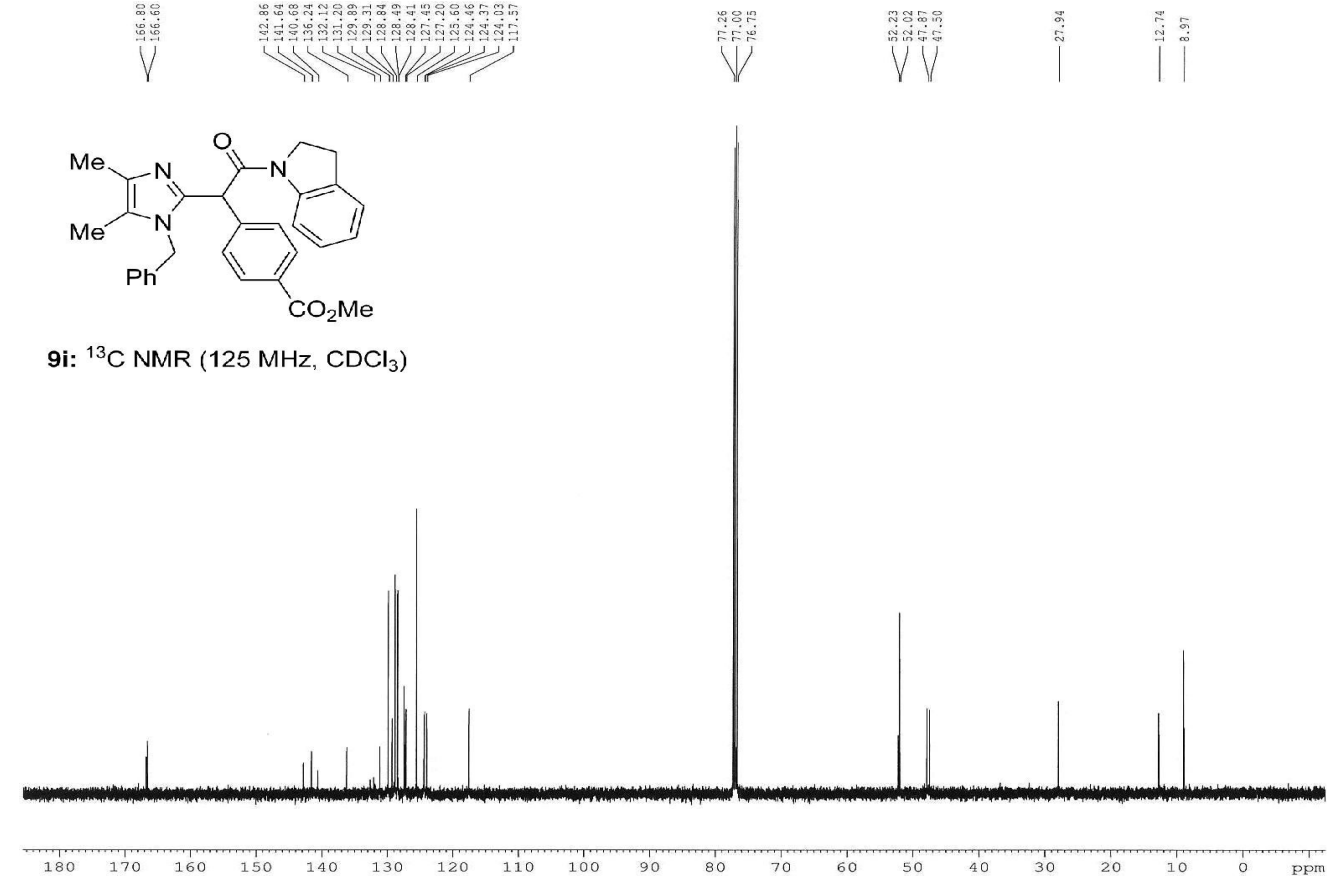
9h: ^{1}H NMR (400 MHz, $CDCl_3$)



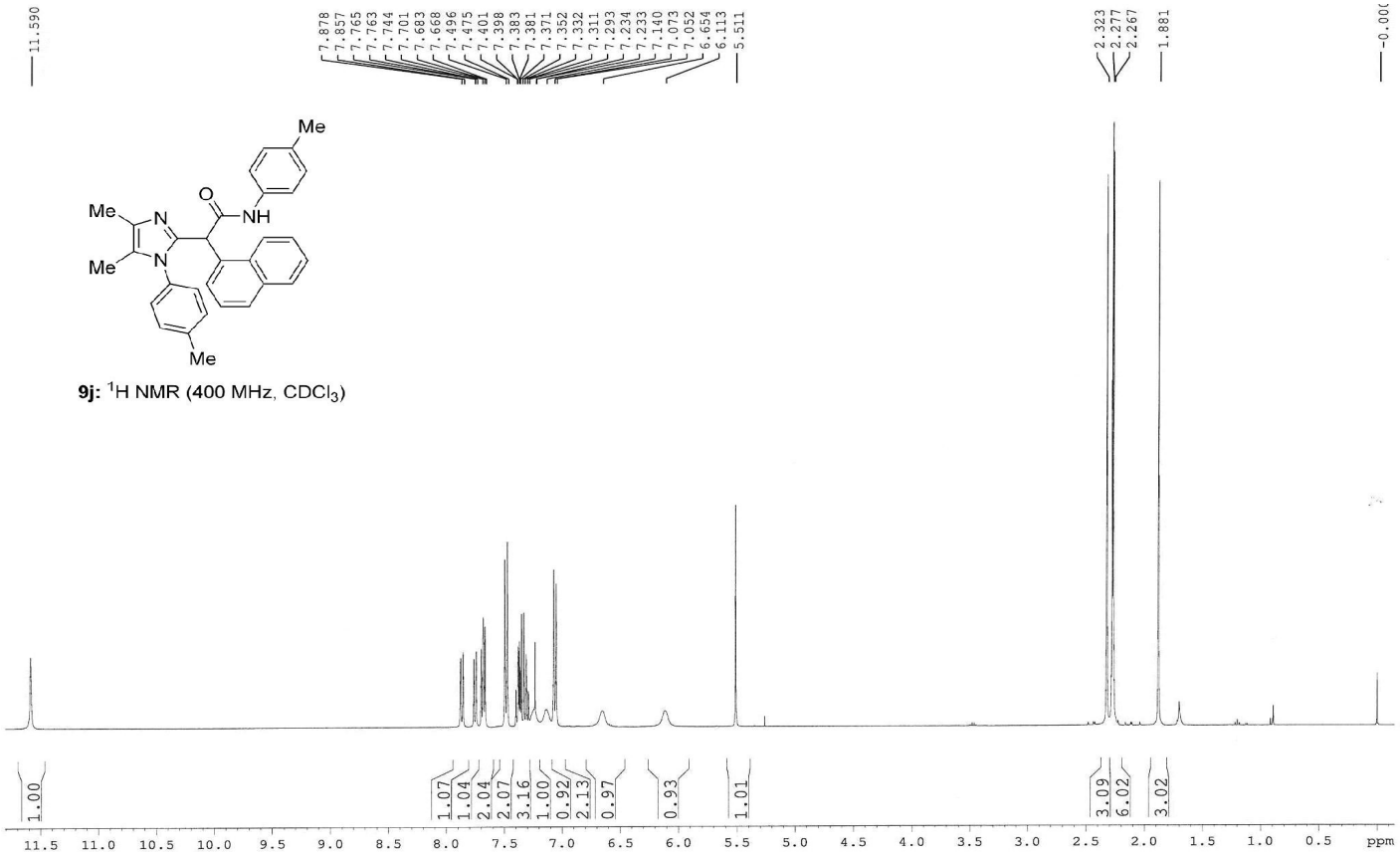
9h: ^{13}C NMR (100 MHz, $CDCl_3$)



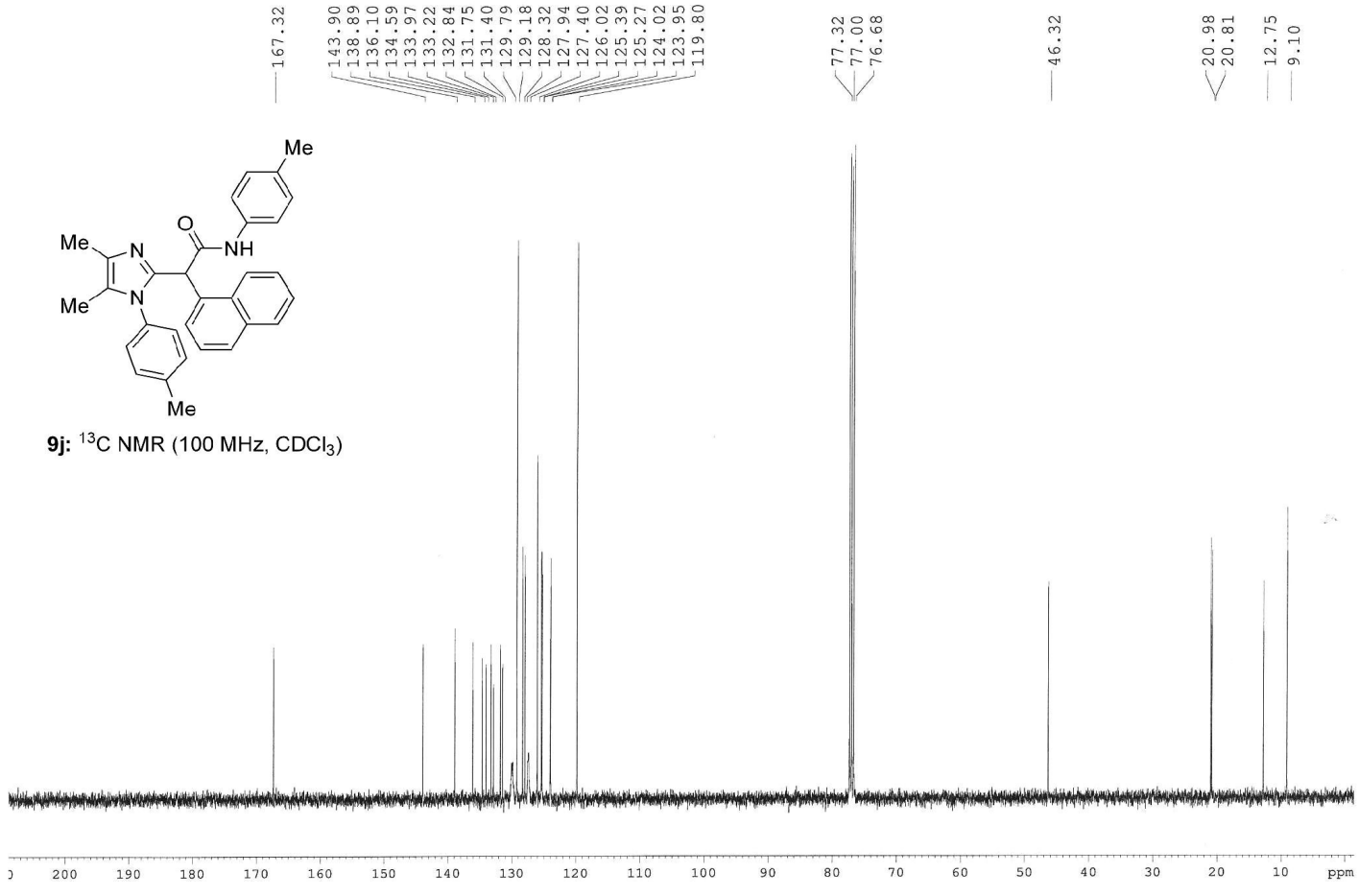
9i: ^{1}H NMR (500 MHz, $CDCl_3$)



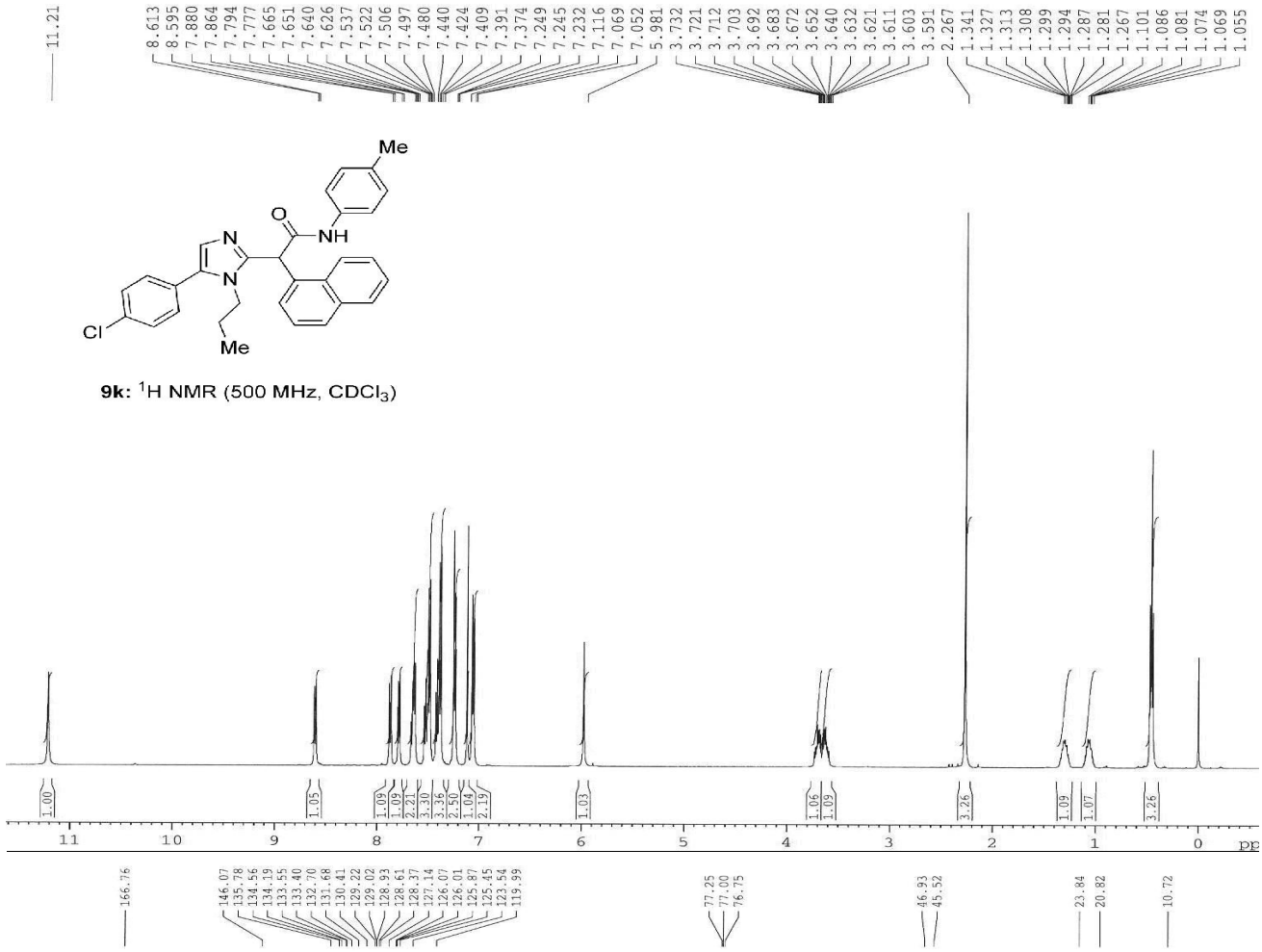
9i: ^{13}C NMR (125 MHz, $CDCl_3$)



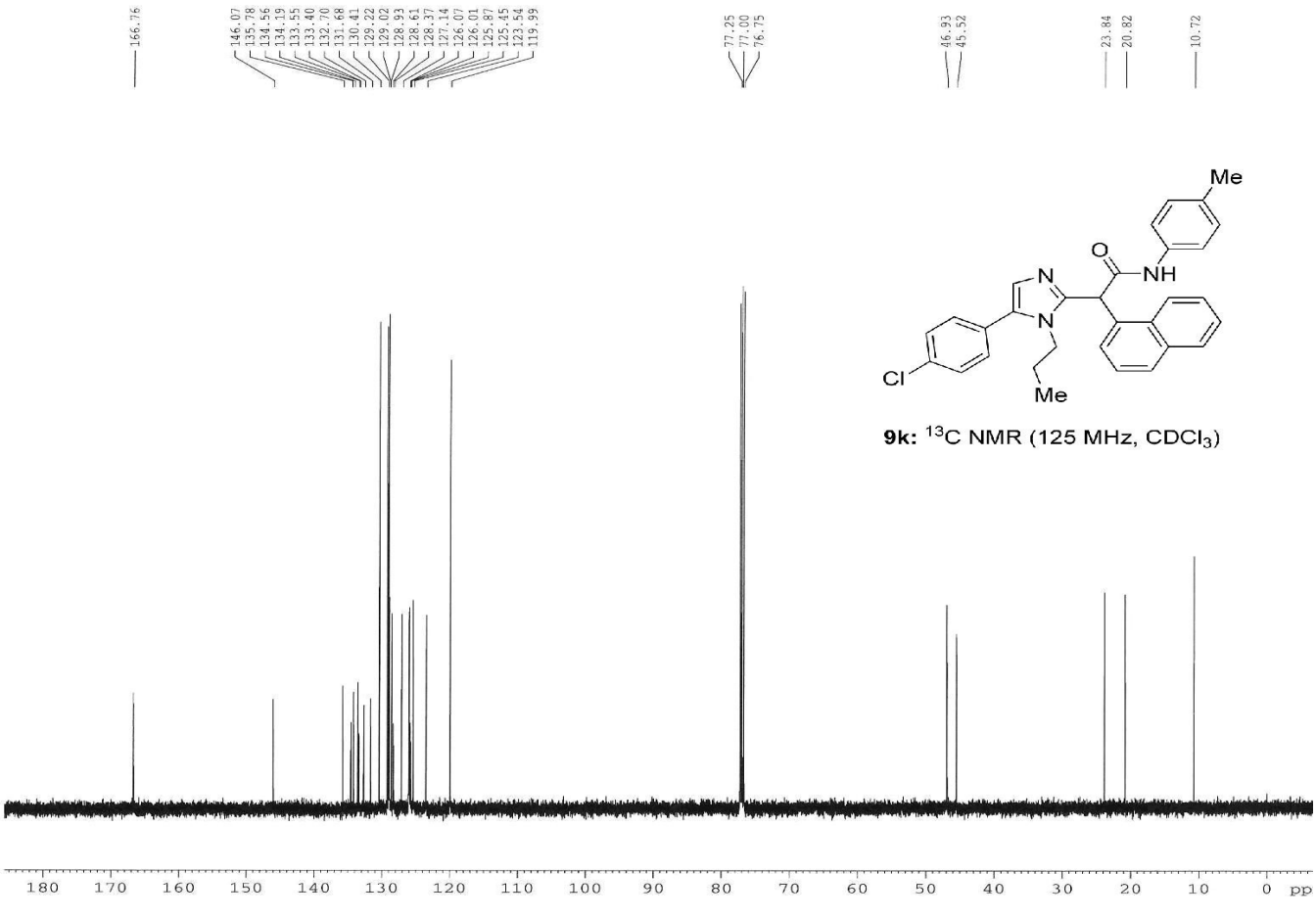
9j: ^{1}H NMR (400 MHz, $CDCl_3$)



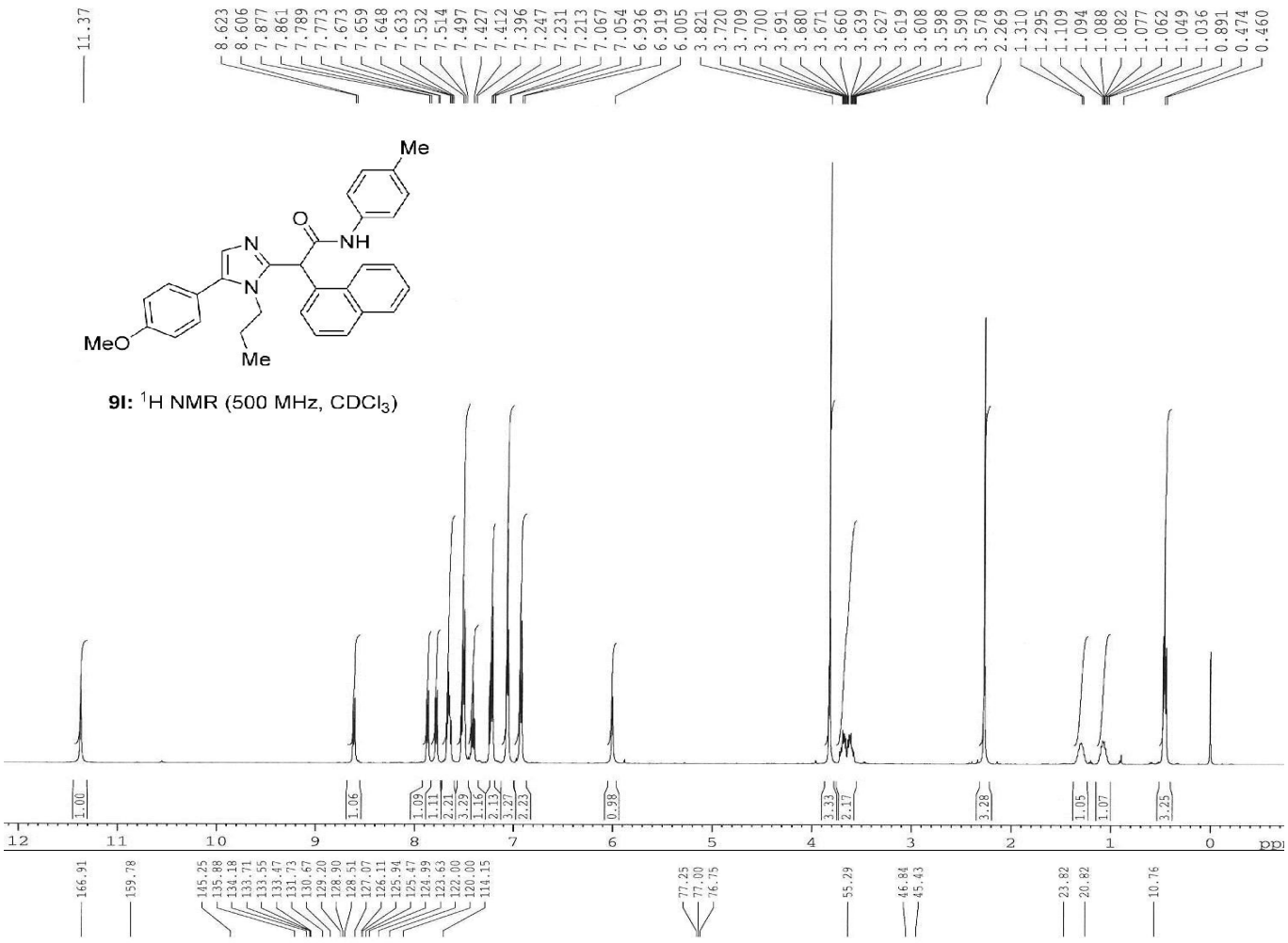
9j: ^{13}C NMR (100 MHz, $CDCl_3$)



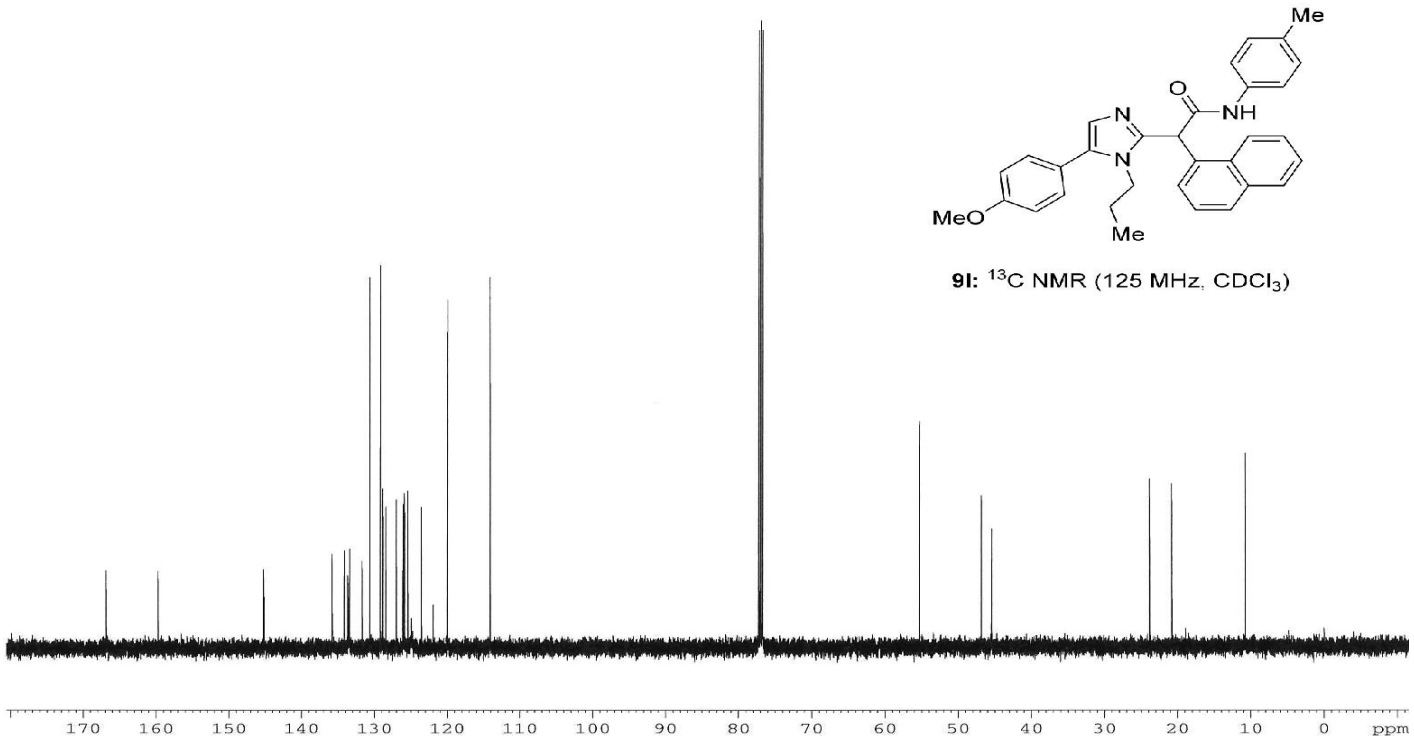
9k: ^{1}H NMR (500 MHz, $CDCl_3$)



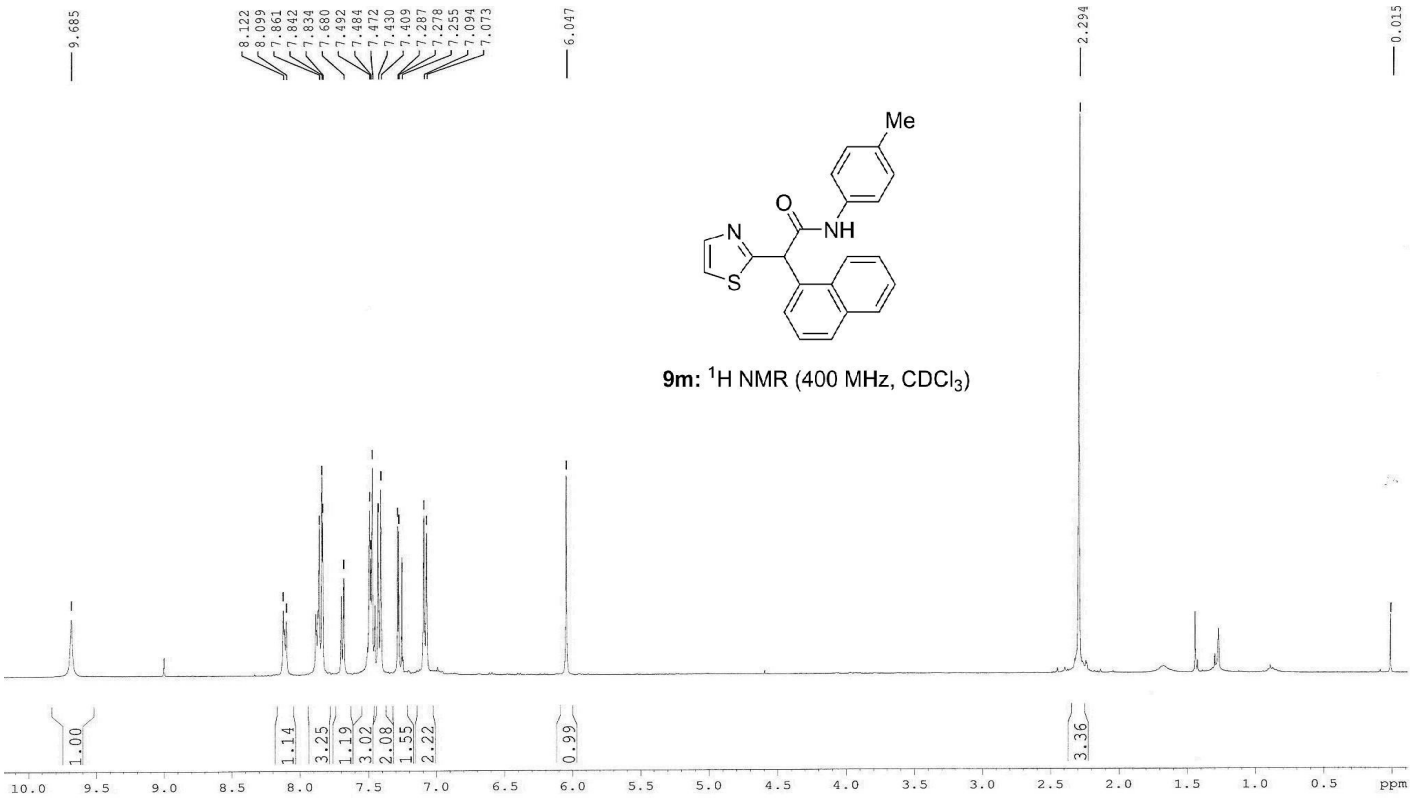
9k: ^{13}C NMR (125 MHz, $CDCl_3$)



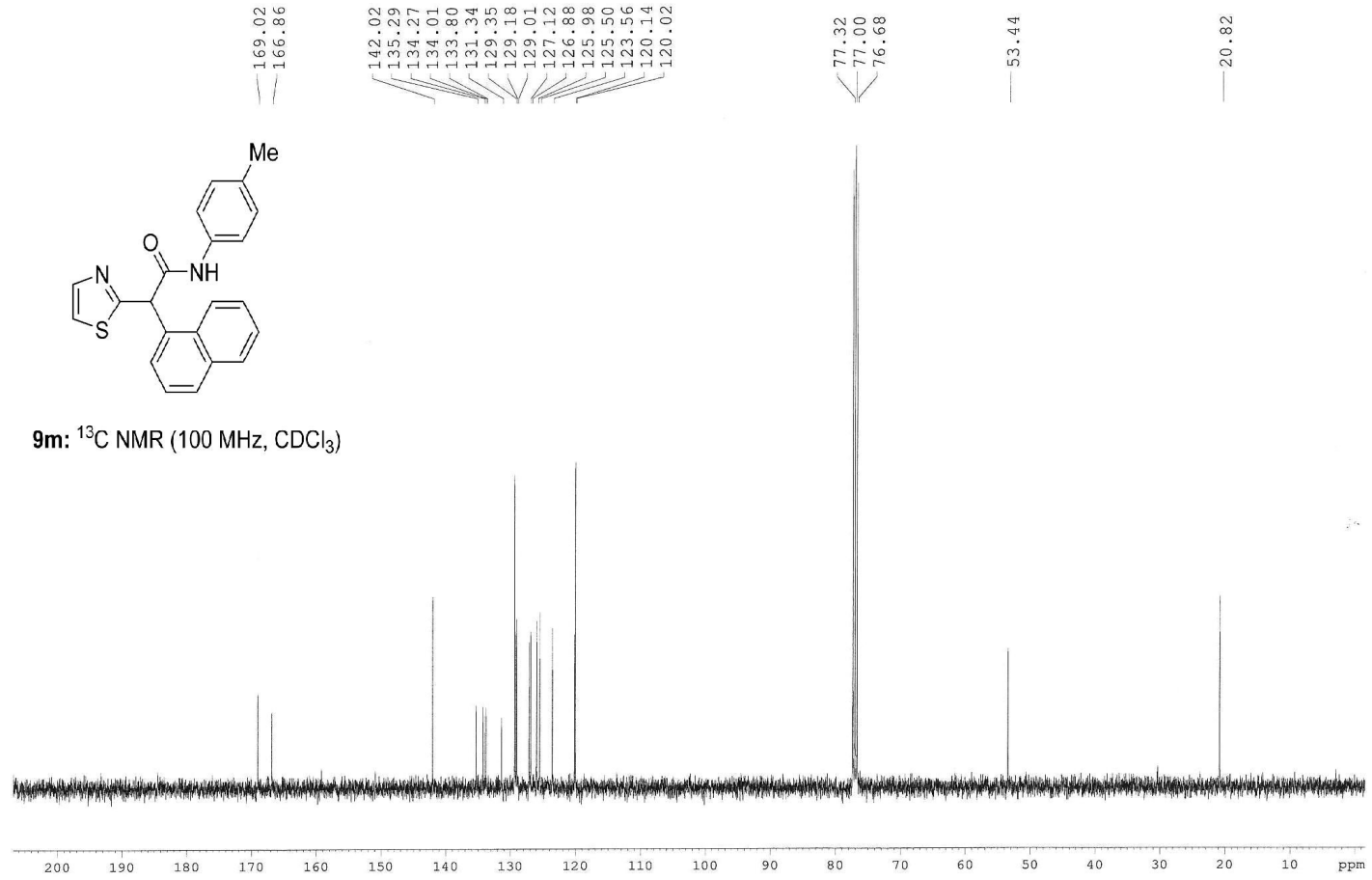
9l: ^{1}H NMR (500 MHz, $CDCl_3$)



9l: ^{13}C NMR (125 MHz, $CDCl_3$)



9m: ^{1}H NMR (400 MHz, $CDCl_3$)



9m: ^{13}C NMR (100 MHz, $CDCl_3$)