

Supporting Information

Asymmetric [3+3] Cycloaddition of Cinnamaldehyde-derived *N*-Aryl Nitrones with 2-Indolemethanols Enabled by Chiral Phosphoric Acid

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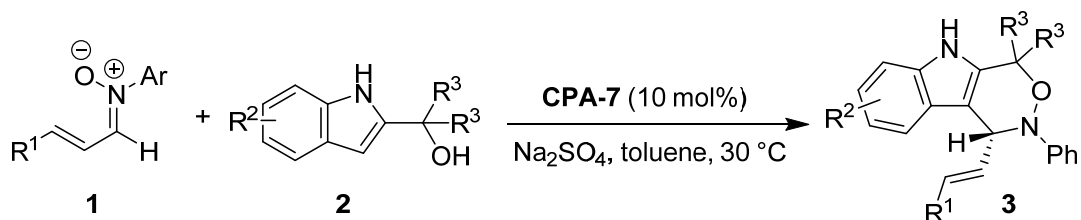
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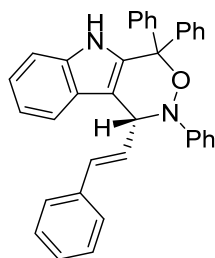
1. General experiment information

^1H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded at ambient temperature using 400 or 500 MHz spectrometers. The data are reported as follows: chemical shift in ppm from internal tetramethylsilane on the δ scale, multiplicity (br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants (Hz), and integration. Enantiomeric excesses (ee) were determined by chiral high-performance liquid chromatography (chiral HPLC). The chiral columns used for the determination of Enantiomeric ratios by chiral HPLC were Chiralpak columns. Optical rotation values were measured with instruments operating at $\lambda = 589$ nm, corresponding to the sodium D line at the temperatures indicated. High resolution mass spectra were acquired on an LTQ FT spectrometer, and were obtained by peak matching. Melting points are reported uncorrected. Analytical thin layer chromatography was performed on 0.25 mm extra hard silica gel plates with UV254 fluorescent indicator. Chromatography was performed using with 300-400 mesh silica gel (SiO_2). Unless otherwise noted, all reagents and solvents were obtained from commercial sources and, where appropriate, purified prior to use. cinnamaldehyde-derived *N*-aryl nitrones **1a-1k**^[1-2], **1n-1u**^[1-2], **1v**^[3], **1w-1x**^[4], 2-indolemethanols **2a-2k**^[5] are prepared according to the reported literatures.

2. Synthesis of compounds 3



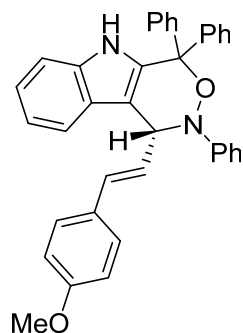
General procedure A: In a 10 mL reaction flask was charged with *N*-aryl nitrones **1** (0.2 mmol), 2-indolemethanols **2** (0.4 mmol, 2.0 equiv.), catalyst **CPA-7** (10 mol%), and Na_2SO_4 (200 mg) under N_2 atmosphere. Toluene (4 mL) was added to the reaction mixture. Then, the reaction vial was sealed with a polytetrafluoroethylene cap. The reaction mixture was stirred at $30\text{ }^\circ\text{C}$ for 32-60 h until nitrones **1** was consumed completely (monitored by TLC). At this time, the solvent was removed under reduced pressure and the crude product was purified by flash column chromatography (the crude residue was dry loaded with silica gel, 1/50 to 1/6 ethyl acetate/petroleum ether as the eluent) to afford indole-fused 1,2-oxazines **3**.



3aa

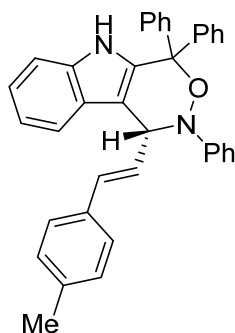
(*R,E*)-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3aa).

The reaction ran for 35 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3aa**. A white solid, 0.085 g, 84% yield. Mp: 159–160 °C; $[\alpha]_{\text{D}}^{20} = +105.8$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, $\text{DMSO-}d_6$): δ 11.15 (s, 1H), 7.58 (d, $J = 7.6$ Hz, 1H), 7.43–7.41 (m, 4H), 7.40–7.27 (m, 9H), 7.27–7.16 (m, 7H), 7.12 (t, $J = 6.8$ Hz, 1H), 7.04 (t, $J = 7.2$ Hz, 1H), 6.92 (d, $J = 16.0$ Hz, 1H), 6.84–6.82 (m, 1H), 6.48 (dd, $J = 8.8, 16.0$ Hz, 1H), 5.88 (d, $J = 8.4$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, $\text{DMSO-}d_6$): δ 149.2, 143.2, 142.7, 137.2, 136.7, 136.3, 132.8, 129.1, 129.0, 128.7, 128.6, 128.5, 128.3, 128.2, 128.1, 127.7, 126.8, 126.7, 124.9, 121.9, 121.3, 120.0, 118.7, 116.3, 112.2, 109.8, 86.6, 61.5; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{29}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 505.2274, found: 505.2270. The enantiomeric excess: 98%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 9.67$ min (minor), $t_2 = 12.11$ min (major).



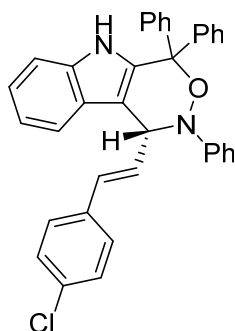
3ba

(*R,E*)-1-(4-methoxystyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3ba). The reaction ran for 32 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ba**. A white solid, 0.079 g, 74% yield. Mp: 139–140 °C; $[\alpha]_D^{20} = +72.4$ ($c = 0.1$, CH₂Cl₂); ¹H NMR (500 MHz, DMSO-*d*₆): δ 11.13 (s, 1H), 7.56 (d, $J = 10.0$ Hz, 1H), 7.43–7.37 (m, 6H), 7.35–7.30 (m, 5H), 7.30–7.28 (m, 2H), 7.26–7.20 (m, 4H), 7.11 (t, $J = 5.0$ Hz, 1H), 7.03 (t, $J = 5.0$ Hz, 1H), 6.84–6.81 (m, 4H), 6.31 (dd, $J = 10.0, 15.0$ Hz, 1H), 5.83 (d, $J = 10.0$ Hz, 1H), 3.69 (s, 3H); ¹³C {¹H} NMR (100 MHz, DMSO-*d*₆): δ 159.3, 149.3, 143.2, 142.7, 137.2, 136.2, 132.4, 129.4, 129.0, 128.8, 128.7, 128.6, 128.3, 128.2, 128.0, 125.3, 124.9, 121.9, 121.3, 119.6, 118.7, 116.4, 114.5, 112.2, 112.1, 110.1, 86.6, 61.7, 55.6; HRMS (ESI) m/z calcd for C₃₇H₃₁N₂O₂ [M+H]⁺: 535.2380, found: 535.2369. The enantiomeric excess: 91%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): $t_1 = 11.09$ min (minor), $t_2 = 13.74$ min (major).



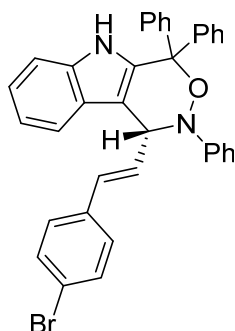
3ca

(*R,E*)-1-(4-methylstyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]indole (3ca). The reaction ran for 36 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ca**. A white solid, 0.084 g, 81% yield. Mp: 148–149 °C; $[\alpha]_D^{20} = +75.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, $\text{DMSO}-d_6$): δ 11.14 (s, 1H), 7.56 (d, $J = 7.6$ Hz, 1H), 7.42–7.37 (m, 6H), 7.34–7.28 (m, 5H), 7.26–7.21 (m, 6H), 7.11–7.05 (m, 3H), 7.03 (t, $J = 7.6$ Hz, 1H), 6.87 (d, $J = 15.6$ Hz, 2H), 6.40 (dd, $J = 8.4, 16.0$ Hz, 1H), 5.85 (d, $J = 8.4$ Hz, 1H), 2.23 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, $\text{DMSO}-d_6$): δ 149.3, 143.2, 142.7, 137.5, 137.2, 136.3, 134.0, 132.8, 129.7, 129.0, 128.8, 128.7, 128.3, 128.2, 126.8, 126.7, 126.6, 124.9, 122.0, 121.4, 119.6, 118.7, 116.4, 112.3, 110.0, 86.6, 61.6, 55.5, 21.3; HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 519.2431, found: 519.2426. The enantiomeric excess: 94%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 9.57$ min (minor), $t_2 = 11.60$ min (major).



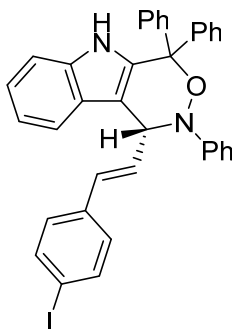
3da

(*R,E*)-1-(4-chlorostyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3da). The reaction ran for 32 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3da**. A light yellow solid, 0.080 g, 74% yield. Mp: 134–135 °C; $[\alpha]_D^{20} = +79.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.50 (d, $J = 8.0$ Hz, 1H), 7.34–7.33 (m, 2H), 7.28–7.24 (m, 6H), 7.23–7.16 (m, 4H), 7.14–7.03 (m, 10H), 6.82 (t, $J = 6.8$ Hz, 1H), 6.58 (d, $J = 16.0$ Hz, 1H), 6.42 (dd, $J = 7.6, 15.6$ Hz, 1H), 5.55 (d, $J = 7.6$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.5, 142.3, 136.5, 136.4, 135.2, 133.1, 132.2, 128.6, 128.5, 128.4, 128.3, 128.2, 128.1, 128.0, 127.9, 127.8, 127.7, 125.4, 122.2, 121.5, 120.2, 118.7, 116.7, 111.4, 110.5, 86.2, 62.0; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 539.1885, found: 539.1873. The enantiomeric excess: 95%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 10.07$ min (minor), $t_2 = 12.21$ min (major).



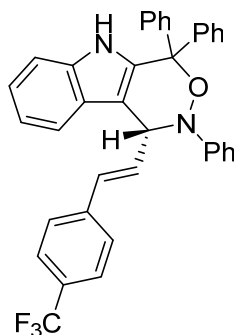
3ea

(*R,E*)-1-(4-bromostyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3ea). The reaction ran for 46 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ea**. A light yellow solid, 0.098 g, 84% yield. Mp: 123–124 °C; $[\alpha]_D^{20} = +101.1$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.68 (s, 1H), 7.50 (d, $J = 7.6$ Hz, 1H), 7.34–7.25 (m, 11H), 7.23–7.17 (m, 3H), 7.14–7.06 (m, 7H), 6.83–6.79 (m, 1H), 6.57 (d, $J = 16.4$ Hz, 1H), 6.44 (dd, $J = 7.2, 15.6$ Hz, 1H), 5.55 (d, $J = 7.6$ Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.5, 142.3, 136.5, 136.4, 135.6, 132.3, 131.4, 128.5, 128.4, 128.3, 128.2, 128.1, 128.1, 128.0, 127.9, 127.8, 125.4, 122.2, 121.5, 121.3, 120.2, 118.7, 116.7, 111.4, 110.5, 86.2, 62.0; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1366. The enantiomeric excess: 91%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 10.47$ min (minor), $t_2 = 12.95$ min (major).



3fa

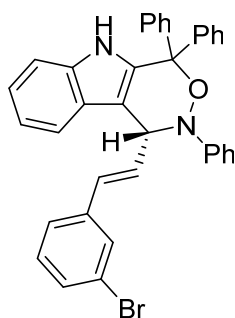
(*R,E*)-1-(4-iodostyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3fa). The reaction ran for 34 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3fa**. A light yellow solid, 0.093 g, 74% yield. Mp: 149–150 °C; $[\alpha]_{\text{D}}^{20} = +92.4$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.49–7.45 (m, 3H), 7.34–7.33 (m, 2H), 7.28–7.23 (m, 5H), 7.19–7.15 (m, 4H), 7.13–7.02 (m, 6H), 6.94 (d, $J = 8.0$ Hz, 2H), 6.81 (d, $J = 6.8$ Hz, 1H), 6.54 (d, $J = 16.0$ Hz, 1H), 6.44 (dd, $J = 7.6, 16.0$ Hz, 1H), 5.54 (d, $J = 7.2$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.5, 142.3, 137.4, 137.3, 136.5, 136.4, 136.2, 132.4, 128.5, 128.4, 128.3, 128.2, 128.1, 128.0, 127.9, 127.8, 125.4, 122.2, 121.6, 120.2, 118.7, 116.7, 111.4, 110.5, 92.7, 86.2, 61.8; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{IN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 631.1241, found: 631.1226. The enantiomeric excess: 99%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 9.89$ min (minor), $t_2 = 11.82$ min (major).



3ga

(*R,E*)-2,4,4-triphenyl-1-(4-(trifluoromethyl)styryl)-1,2,4,5-tetrahydro-[1,2]

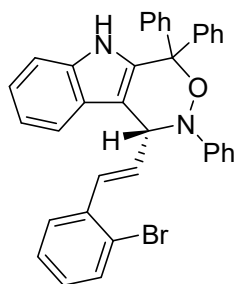
oxazino[5,4-*b*]indole (3ga). The reaction ran for 41 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ga**. A white solid, 0.073 g, 64% yield. Mp: 137–138 °C; $[\alpha]_D^{20} = +81.6$ ($c = 0.1$, CH₂Cl₂); ¹H NMR (400 MHz, CDCl₃): δ 7.71 (s, 1H), 7.50 (d, $J = 7.6$ Hz, 1H), 7.41 (d, $J = 8.0$ Hz, 2H), 7.36–7.34 (m, 2H), 7.29–7.26 (m, 7H), 7.21–7.04 (m, 10H), 6.83 (t, $J = 7.2$ Hz, 1H), 6.66 (d, $J = 16.0$ Hz, 1H), 6.55 (dd, $J = 7.6, 16.0$ Hz, 1H), 5.58 (d, $J = 7.6$ Hz, 1H); ¹³C{¹H} NMR (100 MHz, CDCl₃): δ 148.7, 142.4, 142.2, 140.1, 136.5, 132.1, 130.1, 130.0, 129.7 (q, $J = 32.1$ Hz), 128.6, 128.5, 128.4, 128.3, 128.2, 128.1, 127.9, 127.8, 126.7, 125.3 (q, $J = 3.6$ Hz), 122.3, 121.6, 120.3, 118.6, 116.7, 111.4, 110.3, 86.2, 61.9; ¹⁹F NMR (376 MHz, CDCl₃): δ -62.5; HRMS (ESI) m/z calcd for C₃₇H₂₈F₃N₂O [M+H]⁺: 573.2148, found: 573.2121. The enantiomeric excess: 93%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): $t_1 = 9.16$ min (minor), $t_2 = 10.77$ min (major).



3ha

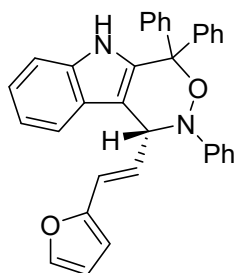
(*R,E*)-1-(3-bromostyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]

indole (3ha). The reaction ran for 37 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ha**. A white solid, 0.092 g, 79% yield. Mp: 141–142 °C; $[\alpha]_D^{20} = +15.0$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.69 (s, 1H), 7.49 (d, $J = 7.2$ Hz, 1H), 7.34–7.25 (m, 8H), 7.21–7.18 (m, 5H), 7.15–7.00 (m, 8H), 6.83 (t, $J = 7.2$ Hz, 1H), 6.56 (d, $J = 15.6$ Hz, 1H), 6.45 (dd, $J = 7.6, 16.0$ Hz, 1H), 5.55 (d, $J = 7.6$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.5, 142.2, 138.8, 136.5, 136.4, 132.1, 130.4, 129.9, 129.4, 128.8, 128.6, 128.5, 128.4, 128.3, 128.0, 128.0, 127.9, 125.4, 125.2, 122.6, 122.3, 121.6, 120.3, 118.7, 116.7, 111.4, 110.4, 86.2, 62.0; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1368. The enantiomeric excess: 85%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 9.28$ min (minor), $t_2 = 11.55$ min (major).



3ia

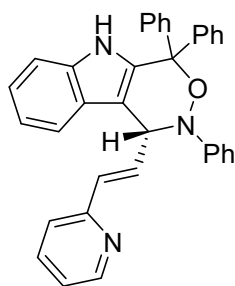
(*R,E*)-1-(2-bromostyryl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3ia). The reaction ran for 37 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ia**. A white solid, 0.058 g, 50% yield. Mp: 122–123 °C; $[\alpha]_{\text{D}}^{20} = +98.5$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.65 (s, 1H), 7.62 (d, $J = 7.6$ Hz, 1H), 7.40–7.35 (m, 3H), 7.28–7.24 (m, 6H), 7.22–7.20 (m, 4H), 7.17–7.10 (m, 6H), 7.09–6.99 (m, 2H), 6.95 (t, $J = 7.2$ Hz, 1H), 6.82 (t, $J = 6.8$ Hz, 1H), 6.33 (dd, $J = 8.0, 16.0$ Hz, 1H), 5.61 (d, $J = 8.0$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.5; 142.2, 136.9, 136.5, 136.3, 132.6, 132.5, 130.4, 128.7, 128.5, 128.4, 128.3, 128.2, 128.1, 128.0, 127.9, 127.5, 127.3, 125.3, 123.5, 122.3, 121.5, 120.2, 118.9, 116.7, 111.3, 110.4, 86.2, 62.0; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1385. The enantiomeric excess: 99%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 25.75$ min (minor), $t_2 = 27.97$ min (major).



3ja

(*R,E*)-1-(2-(furan-2-yl)vinyl)-2,4,4-triphenyl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3ja). The reaction ran for 60 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ja**. A yellow solid, 0.071 g, 72% yield. Mp: 175–176 °C; $[\alpha]_{\text{D}}^{20} = +70.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.50 (d, $J = 8.0$ Hz, 1H), 7.34–7.33 (m, 2H), 7.29–7.24 (m, 6H), 7.20–7.15 (m,

5H), 7.13–7.04 (m, 5H), 6.83 (t, $J = 6.8$ Hz, 1H), 6.39–6.38 (m, 2H), 6.22 (s, 1H), 6.09 (d, $J = 2.8$ Hz, 1H), 5.54 (d, $J = 3.2$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 152.3, 148.8, 142.6, 142.3, 141.8, 141.7, 136.5, 128.5, 128.4, 128.3, 128.2, 128.0, 127.8, 125.6, 125.5, 122.2, 121.8, 121.3, 120.2, 118.8, 116.5, 111.3, 111.1, 110.5, 108.1, 108.0, 86.2, 61.5; HRMS (ESI) m/z calcd for $\text{C}_{34}\text{H}_{27}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 495.2067, found: 495.2062. The enantiomeric excess: 98%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 9.74$ min (minor), $t_2 = 11.42$ min (major).

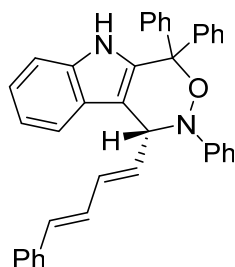


3ka

(*R,E*)-2,4,4-triphenyl-1-(2-(pyridin-2-yl)vinyl)-1,2,4,5-tetrahydro-[1,2]oxazino

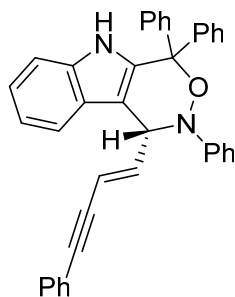
[5,4-b]indole (3ka). The reaction ran for 39 h. Purification by column chromatography (1/6, ethyl acetate/petroleum ether) afforded product **3ka**. A white solid, 0.042 g, 42% yield. Mp: 166–167 °C; $[\alpha]_D^{20} = +50.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 8.40 (d, $J = 4.4$ Hz, 1H), 7.74 (s, 1H), 7.55 (d, $J = 8.0$ Hz, 1H), 7.48 (t, $J = 7.6$ Hz, 1H), 7.35–7.25 (m, 8H), 7.23–7.19 (m, 5H), 7.16–7.09 (m, 4H), 7.06–7.03 (m, 1H), 7.00 (t, $J = 5.2$ Hz, 1H), 6.89–6.74 (m, 3H), 5.65 (d, $J = 7.6$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 155.2, 149.3, 148.7, 142.5, 142.2, 136.5, 136.4, 136.2, 133.6, 131.6, 128.5, 128.4, 128.3, 128.1, 128.0, 127.9, 127.8, 125.4, 122.2, 122.1, 121.3, 121.2, 120.3, 118.8, 116.4, 111.3, 110.2, 86.3, 61.6; HRMS (ESI) m/z calcd for $\text{C}_{35}\text{H}_{28}\text{N}_3\text{O}$

[M+H]⁺: 506.2227, found: 506.2231. The enantiomeric excess: 94%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 90/10, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 16.59 min (major), t₂ = 22.53 min (minor).



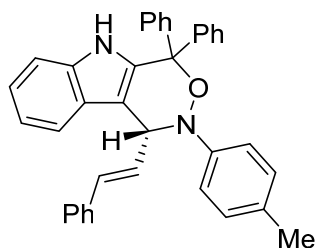
3la

(*R*)-2,4,4-triphenyl-1-((1*E*,3*E*)-4-phenylbuta-1,3-dien-1-yl)-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3la). The reaction ran for 35 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3la**. A yellow solid, 0.084 g, 79% yield. Mp: 99–100 °C; [α]_D²⁰ = +30.3 (c = 0.1, CH₂Cl₂); ¹H NMR (500 MHz, CDCl₃): δ 7.65 (s, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.35–7.34 (m, 2H), 7.28–7.23 (m, 6H), 7.19–7.15 (m, 9H), 7.12–7.08 (m, 5H), 6.83 (t, *J* = 7.5 Hz, 1H), 6.65 (dd, *J* = 10.5, 15.5 Hz, 1H), 6.45 (t, *J* = 13.0 Hz, 1H), 6.07 (dd, *J* = 7.5, 15.0 Hz, 1H), 5.51 (d, *J* = 7.5 Hz, 1H); ¹³C{¹H} NMR (125 MHz, CDCl₃): δ 148.9, 142.6, 142.4, 137.2, 136.5, 136.3, 133.8, 132.4, 131.2, 128.5, 128.5, 128.4, 128.3, 128.1, 128.0, 127.9, 127.8, 127.4, 126.3, 125.4, 122.2, 121.4, 120.2, 118.8, 116.6, 111.3, 110.8, 86.2, 61.7; HRMS (ESI) *m/z* calcd for C₃₈H₃₁N₂O [M+H]⁺: 531.2431, found: 531.2431. The enantiomeric excess: 83%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 9.64 min (minor), t₂ = 12.41 min (major).



3ma

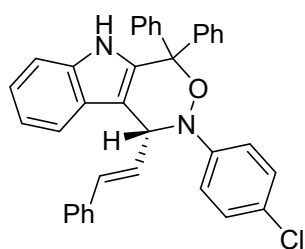
(*R,E*)-2,4,4-triphenyl-1-(4-phenylbut-1-en-3-yn-1-yl)-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3ma). The reaction ran for 15 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ma**. A yellow solid, 0.033 g, 31% yield. Mp: 101–102 °C; $[\alpha]_D^{20} = +18.3$ ($c = 0.1$, CH₂Cl₂); ¹H NMR (500 MHz, CDCl₃): δ 7.67 (s, 1H), 7.51 (d, $J = 7.5$ Hz, 1H), 7.33–7.32 (m, 2H), 7.27–7.24 (m, 6H), 7.22–7.15 (m, 10H), 7.13–7.07 (m, 4H), 6.86 (t, $J = 7.0$ Hz, 1H), 6.48 (dd, $J = 7.0, 16.0$ Hz, 1H), 5.95 (d, $J = 16.0$ Hz, 1H), 5.50 (d, $J = 7.5$ Hz, 1H), ; ¹³C{¹H} NMR (125 MHz, CDCl₃): δ 148.6, 142.4, 142.1, 140.4, 136.6, 136.5, 131.4, 128.6, 128.5, 128.4, 128.2, 128.2, 128.1, 128.0, 127.8, 126.5, 125.3, 123.2, 122.3, 121.5, 120.4, 118.7, 116.3, 113.5, 111.4, 109.7, 90.4, 87.6, 86.3, 61.4; HRMS (ESI) m/z calcd for C₃₈H₂₉N₂O [M+H]⁺: 529.2274, found: 529.2267. The enantiomeric excess: 93%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): $t_1 = 9.48$ min (minor), $t_2 = 11.49$ min (major).



3na

(*R,E*)-4,4-diphenyl-1-styryl-2-(*p*-tolyl)-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]

indole (3na). The reaction ran for 40 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3na**. A white solid, 0.086 g, 83% yield. Mp: 119–120 °C; $[\alpha]_{\text{D}}^{20} = +76.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.52 (d, $J = 8.0$ Hz, 1H), 7.35–7.26 (m, 7H), 7.24–7.15 (m, 8H), 7.11–7.09 (m, 2H), 7.05–6.93 (m, 5H), 6.63 (d, $J = 16.4$ Hz, 1H), 6.44 (dd, $J = 8.0, 16.0$ Hz, 1H), 5.51 (d, $J = 7.6$ Hz, 1H), 2.16 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 153.4, 146.5, 142.7, 142.5, 136.8, 136.5, 136.4, 133.4, 129.0, 128.4, 128.3, 128.2, 128.1, 127.9, 127.8, 127.4, 126.6, 126.5, 125.5, 122.1, 120.1, 118.9, 117.2, 114.8, 111.3, 111.0, 86.1, 62.4, 20.6; HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 519.2431, found: 519.2415. The enantiomeric excess: 96%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 9.85$ min (minor), $t_2 = 12.52$ min (major).

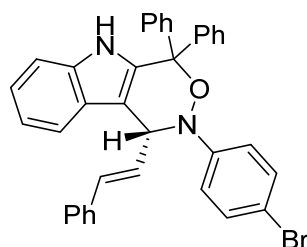


3oa

(*R,E*)-2-(4-chlorophenyl)-4,4-diphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]

oxazino[5,4-*b*]indole (3oa). The reaction ran for 35 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3oa**. A light yellow solid, 0.091 g, 85% yield. Mp: 201–202 °C; $[\alpha]_{\text{D}}^{20} = +45.6$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.50 (d, $J = 7.6$ Hz, 1H), 7.32–7.24 (m,

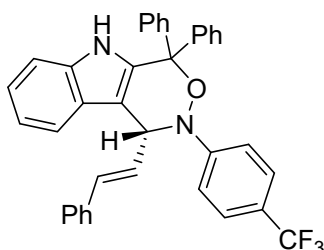
8H), 7.22–7.15 (m, 7H), 7.12–7.00 (m, 5H), 6.85 (t, $J = 8.8$ Hz, 2H), 6.60 (d, $J = 16.0$ Hz, 1H), 6.38 (dd, $J = 8.0, 16.0$ Hz, 1H), 5.44 (d, $J = 8.0$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 145.1, 145.0, 142.5, 142.3, 136.6, 136.5, 136.3, 133.7, 128.4, 128.3, 128.2, 128.1, 128.1, 128.0, 127.9, 127.6, 127.0, 126.6, 125.4, 122.2, 120.2, 118.8, 115.1, 114.9, 111.3, 110.8, 86.3, 63.3; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{27}\text{ClN}_2\text{NaO}$ $[\text{M}+\text{Na}]^+$: 561.1704, found: 561.1709. The enantiomeric excess: 98%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 90/10, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 17.47$ min (minor), $t_2 = 23.47$ min (major).



3pa

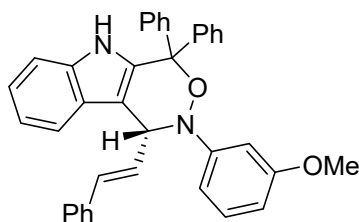
(*R,E*)-2-(4-bromophenyl)-4,4-diphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]indole (3pa). The reaction ran for 46 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3pa**. A white solid, 0.092 g, 79% yield. Mp: 139–140 °C; $[\alpha]_{\text{D}}^{20} = +58.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.65 (s, 1H), 7.50 (d, $J = 7.6$ Hz, 1H), 7.31–7.28 (m, 6H), 7.25–7.16 (m, 11H), 7.13 (t, $J = 6.8$ Hz, 2H), 7.06 (t, $J = 7.2$ Hz, 1H), 6.97 (d, $J = 8.8$ Hz, 2H), 6.65 (d, $J = 15.6$ Hz, 1H), 6.41 (dd, $J = 8.0, 16.0$ Hz, 1H), 5.51 (d, $J = 8.0$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 147.9, 142.3, 142.0, 136.5, 136.4, 136.2, 133.8, 131.3, 128.5, 128.4, 128.3, 128.2, 128.1, 128.0, 127.9, 127.7, 126.6, 126.5, 125.4, 122.3, 120.3, 118.8, 118.3, 113.9, 111.4, 110.4, 86.4, 62.1; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$:

583.1380, found: 583.1371. The enantiomeric excess: >99%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 9.00 min (minor), t₂ = 10.35 min (major).



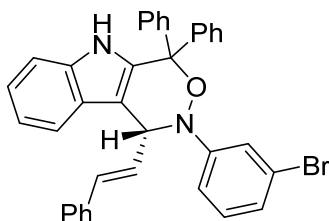
3qa

(*R,E*)-4,4-diphenyl-1-styryl-2-(4-(trifluoromethyl)phenyl)-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]indole (3qa). The reaction ran for 39 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3qa**. A white solid, 0.041 g, 36% yield. Mp: 186–187 °C; [α]_D²⁰ = +36.3 (c = 0.1, CH₂Cl₂); ¹H NMR (400 MHz, CDCl₃): δ 7.63 (s, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.36–7.29 (m, 9H), 7.24–7.13 (m, 8H), 7.11–7.03 (m, 5H), 6.70 (d, *J* = 16.0 Hz, 1H), 6.44 (dd, *J* = 7.6, 15.6 Hz, 1H), 5.65 (d, *J* = 7.6 Hz, 1H); ¹³C {¹H} NMR (100 MHz, CDCl₃): δ 151.2, 142.1, 141.7, 136.5, 136.4, 136.0, 133.9, 128.6, 128.5, 128.4, 128.3, 127.9, 127.8, 127.7, 126.6, 126.5, 126.2, 125.8 (q, *J* = 3.6 Hz), 125.3, 123.2, 123.0 (q, *J* = 32.8 Hz), 122.3, 120.4, 118.7, 115.3, 111.4, 110.0, 86.6, 61.2; ¹⁹F NMR (376 MHz, CDCl₃): δ -61.4; HRMS (ESI) *m/z* calcd for C₃₇H₂₈F₃N₂O [M+H]⁺: 573.2148, found: 573.2129. The enantiomeric excess: 88%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 85/15, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 7.55 min (minor), t₂ = 25.83 min (major).



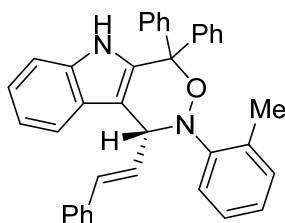
3ra

(*R,E*)-2-(3-methoxyphenyl)-4,4-diphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino [5,4-*b*]indole (3ra). The reaction ran for 40 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ra**. A white solid, 0.088 g, 82% yield. Mp: 162–163 °C; $[\alpha]_D^{20} = +105.8$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.52 (d, $J = 7.6$ Hz, 1H), 7.35–7.27 (m, 8H), 7.25–7.16 (m, 7H), 7.13–7.10 (m, 2H), 7.06–7.02 (m, 2H), 6.70–6.62 (m, 3H), 6.48 (dd, $J = 7.6, 15.6$ Hz, 1H), 6.36 (d, $J = 7.6$ Hz, 1H), 5.56 (d, $J = 7.2$ Hz, 1H), 3.67 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 159.9, 150.2, 142.5, 142.3, 136.8, 136.5, 136.3, 133.4, 129.1, 128.4, 128.3, 128.2, 128.1, 128.0, 127.9, 127.5, 127.1, 126.7, 126.6, 125.4, 122.2, 120.2, 118.8, 111.3, 110.7, 109.1, 106.5, 103.0, 86.2, 61.8, 55.1; HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 535.2380, found: 535.2372. The enantiomeric excess: 90%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 11.77$ min (minor), $t_2 = 14.48$ min (major).



3sa

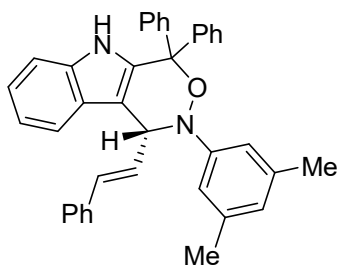
(*R,E*)-2-(3-bromophenyl)-4,4-diphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]indole (3sa). The reaction ran for 35 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3sa**. A light yellow solid, 0.094 g, 81% yield. Mp: 190–191 °C; $[\alpha]_{\text{D}}^{20} = +105.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.65 (s, 1H), 7.51 (d, $J = 7.6$ Hz, 1H), 7.32–7.29 (m, 8H), 7.25–7.17 (m, 8H), 7.14 (t, $J = 7.2$ Hz, 2H), 7.07 (t, $J = 7.6$ Hz, 1H), 7.00–6.88 (m, 3H), 6.67 (d, $J = 16.0$ Hz, 1H), 6.42 (dd, $J = 8.0, 15.6$ Hz, 1H), 5.53 (d, $J = 8.0$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 150.1, 142.2, 141.9, 136.5, 136.4, 136.1, 133.9, 129.7, 128.6, 128.5, 128.4, 128.3, 128.2, 128.0, 127.9, 127.7, 126.6, 126.5, 125.3, 124.1, 122.6, 122.3, 120.3, 119.2, 118.8, 114.8, 111.4, 110.3, 86.5, 62.0; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1362. The enantiomeric excess: 90%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 15.89$ min (minor), $t_2 = 28.91$ min (major).



3ta

(*R,E*)-4,4-diphenyl-1-styryl-2-(*o*-tolyl)-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]indole (3ta). The reaction ran for 45 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ta**. A white solid, 0.098 g, 95% yield. Mp: 123–124 °C; ^1H NMR (400 MHz, CDCl_3): δ 7.64–7.60 (m, 2H), 7.44 (d, $J = 7.6$ Hz, 1H), 7.29–7.22 (m, 8H), 7.20–7.14 (m, 7H), 7.12–7.05 (m, 3H), 6.98–6.88 (m, 3H),

6.33–6.29 (m, 2H), 5.17–5.13 (m, 1H), 1.57 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 147.2, 143.5, 143.0, 137.1, 136.9, 136.4, 133.9, 130.0, 128.4, 128.3, 128.2, 128.0, 127.9, 127.8, 127.7, 127.5, 127.3, 126.5, 126.4, 126.0, 125.8, 125.6, 123.9, 121.9, 120.0, 119.2, 111.8, 111.3, 86.0, 64.9, 17.1; HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 519.2431, found: 519.2425. The enantiomeric excess: 80%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30\text{ }^\circ\text{C}$, 254 nm): $t_1 = 9.38$ min (major), $t_2 = 22.28$ min (minor).

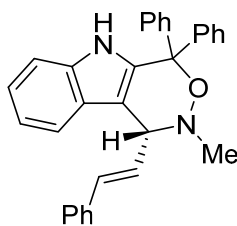


3ua

(*R,E*)-2-(3,5-dimethylphenyl)-4,4-diphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]

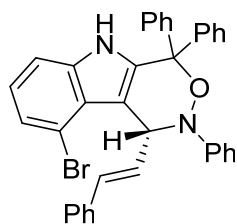
oxazino[5,4-*b*]indole (3ua). The reaction ran for 34 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ua**. A white solid, 0.083 g, 78% yield. Mp: 158–159 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3): δ 7.63 (s, 1H), 7.51 (d, $J = 7.6$ Hz, 1H), 7.35–7.34 (m, 2H), 7.29–7.25 (m, 5H), 7.23–7.13 (m, 8H), 7.11–7.01 (m, 3H), 6.71 (s, 2H), 6.61 (d, $J = 16.0$ Hz, 1H), 6.45–6.39 (m, 2H), 5.54 (d, $J = 7.2$ Hz, 1H), 2.15 (s, 6H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.8, 142.6, 142.5, 137.8, 136.9, 136.5, 136.4, 133.4, 128.4, 128.3, 128.3, 128.2, 128.1, 127.9, 127.8, 127.4, 126.6, 126.5, 125.5, 123.3, 122.1, 120.1, 118.9, 114.5, 111.3, 110.9, 86.1, 62.0, 21.6; HRMS (ESI) m/z calcd for $\text{C}_{38}\text{H}_{33}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 533.2587, found: 533.2593. The enantiomeric excess: 81%, determined by HPLC (FLM Chiral INC,

hexane/isopropanol = 98/2, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 8.94 min (minor), t₂ = 10.87 min (major).



3va

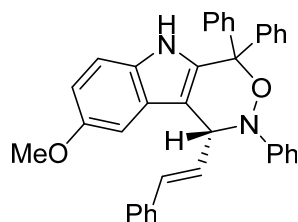
(*R,E*)-2-methyl-4,4-diphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (3va). The reaction ran for 65 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3va**. A white solid, 0.054 g, 61% yield. Mp: 183–184 °C; [α]_D²⁰ = +20.7 (c = 0.1, CH₂Cl₂); ¹H NMR (500 MHz, CDCl₃): δ 7.72 (s, 1H), 7.61 (d, *J* = 7.5 Hz, 1H), 7.50 (d, *J* = 7.5 Hz, 2H), 7.43–7.29 (m, 14H), 7.20 (t, *J* = 7.0 Hz, 1H), 7.10 (t, *J* = 8.0 Hz, 1H), 6.98 (d, *J* = 16.0 Hz, 1H), 6.36 (s, 1H), 4.77 (d, *J* = 9.0 Hz, 1H), 2.77 (s, 3H); ¹³C {¹H} NMR (125 MHz, CDCl₃): δ 143.5, 143.1, 136.6, 136.5, 136.4, 134.0, 128.6, 128.4, 128.2, 128.1, 128.0, 128.0, 127.9, 127.8, 126.6, 125.5, 121.8, 119.9, 119.2, 111.3, 111.1, 84.8, 43.0, 26.9; HRMS (ESI) *m/z* calcd for C₃₁H₂₇N₂O [M+H]⁺: 443.2118, found: 443.2115. The enantiomeric excess: 81%, determined by HPLC (FLM Chiral INC, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 11.26 min (minor), t₂ = 13.45 min (major).



3ab

(*R,E*)-9-bromo-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]

indole (3ab). The reaction ran for 33 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ab**. A white solid, 0.050 g, 43% yield. Mp: 124–125 °C; $[\alpha]_D^{20} = +49.0$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.78 (s, 1H), 7.33–7.27 (m, 7H), 7.21–7.09 (m, 14H), 6.95 (t, $J = 7.6$ Hz, 1H), 6.82 (t, $J = 6.8$ Hz, 1H), 6.54 (dd, $J = 5.6, 16.4$ Hz, 1H), 6.42 (d, $J = 16.0$ Hz, 1H), 6.06 (d, $J = 5.6$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.9, 142.6, 142.3, 137.4, 137.3, 137.2, 135.2, 128.6, 128.5, 128.4, 128.3, 128.2, 128.0, 127.9, 127.9, 127.8, 127.3, 126.5, 124.9, 124.2, 123.0, 121.3, 116.1, 113.7, 111.4, 110.4, 85.9, 60.7; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1366. The enantiomeric excess: >99%, determined by HPLC (FLM Chiral MD, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 25.39$ min (major).

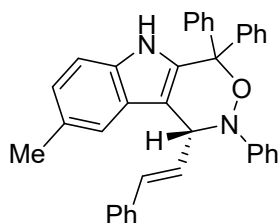


3ac

(*R,E*)-8-methoxy-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino [5,4-

b]indole (3ac). The reaction ran for 36 h. Purification by column chromatography (1/20, ethyl acetate/petroleum ether) afforded product **3ac**. A white solid, 0.092 g, 86% yield. Mp: 126–127 °C; $[\alpha]_D^{20} = +100.9$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.55 (s, 1H), 7.35–7.33 (m, 2H), 7.29–7.26 (m, 5H), 7.22–7.08 (m, 13H), 6.95–6.94 (m, 1H), 6.82–6.75 (m, 2H), 6.63 (d, $J = 16.0$ Hz, 1H), 6.44 (dd, $J = 7.6, 15.6$ Hz, 1H), 5.53

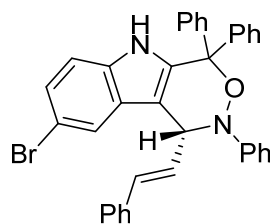
(d, $J = 7.6$ Hz, 1H), 3.72 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 154.3, 148.8, 142.6, 142.4, 137.2, 136.8, 133.5, 131.6, 128.5, 128.4, 128.3, 128.2, 128.0, 127.9, 127.8, 127.5, 127.1, 126.5, 126.4, 125.9, 121.4, 116.7, 112.0, 111.8, 110.5, 101.1, 86.2, 62.0, 55.9; HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 535.2380, found: 535.2369. The enantiomeric excess: 98%, determined by HPLC (FLM Chiral NS, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 23.96$ min (minor), $t_2 = 32.46$ min (major).



3ad

(*R,E*)-8-methyl-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]indole (3ad). The reaction ran for 35 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ad**. A white solid, 0.089 g, 86% yield. Mp: 169–170 °C; $[\alpha]_{\text{D}}^{20} = +60.7$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.58 (s, 1H), 7.35–7.28 (m, 8H), 7.24–7.18 (m, 7H), 7.16–7.07 (m, 6H), 6.95 (d, $J = 8.4$ Hz, 1H), 6.81 (t, $J = 7.2$ Hz, 1H), 6.64 (d, $J = 15.6$ Hz, 1H), 6.45 (dd, $J = 7.6, 16.0$ Hz, 1H), 5.54 (d, $J = 7.6$ Hz, 1H), 2.35 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.9, 142.6, 142.4, 136.9, 136.4, 134.8, 133.5, 129.5, 128.5, 128.4, 128.3, 128.3, 128.2, 128.0, 127.8, 127.4, 127.2, 126.6, 126.5, 125.7, 123.7, 121.3, 118.5, 116.6, 111.0, 110.3, 86.2, 61.9, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 519.2431, found: 519.2430. The enantiomeric excess: 99%, determined by HPLC (FLM Chiral INC,

hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t_1 = 8.97 min (minor), t_2 = 13.73 min (major).

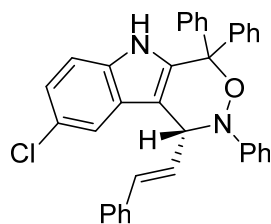


3ae

(*R,E*)-8-bromo-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]

indole (3ae). The reaction ran for 33 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ae**. A white solid, 0.088 g, 76% yield.

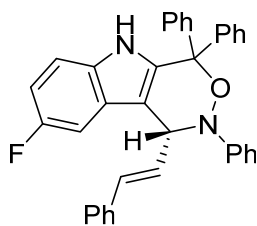
Mp: 175–176 °C; $[\alpha]_D^{20}$ = +115.0 (c = 0.1, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.72 (s, 1H), 7.61 (s, 1H), 7.34–7.26 (m, 7H), 7.21–7.17 (m, 8H), 7.14–7.06 (m, 6H), 6.83 (t, J = 7.2 Hz, 1H), 6.60 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 7.6, 15.6 Hz, 1H), 5.51 (d, J = 8.0 Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.6, 142.3, 142.1, 137.7, 136.6, 135.1, 133.8, 128.5, 128.4, 128.4, 128.2, 128.1, 127.9, 127.8, 127.6, 127.2, 126.7, 126.6, 126.5, 125.1, 121.6, 121.3, 116.7, 113.4, 112.8, 110.5, 86.1, 61.8; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1374. The enantiomeric excess: 98%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 90/10, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t_1 = 11.52 min (minor), t_2 = 14.85 min (major).



3af

(*R,E*)-8-chloro-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]

indole (3af). The reaction ran for 35 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3af**. A white solid, 0.071 g, 66% yield. Mp: 184–185 °C; $[\alpha]_{\text{D}}^{20} = +84.3$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.71 (s, 1H), 7.45 (s, 1H), 7.32–7.29 (m, 7H), 7.23–7.19 (m, 7H), 7.16–7.13 (m, 4H), 7.09–7.06 (m, 3H), 6.83 (t, $J = 6.8$ Hz, 1H), 6.61 (d, $J = 16.0$ Hz, 1H), 6.42 (dd, $J = 8.0, 16.0$ Hz, 1H), 5.51 (d, $J = 7.2$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.6, 142.3, 142.1, 137.9, 136.6, 134.8, 133.8, 128.5, 128.5, 128.4, 128.2, 128.1, 127.9, 127.8, 127.6, 126.7, 126.6, 126.6, 126.5, 125.9, 122.5, 121.6, 118.3, 116.7, 112.3, 110.6, 86.1, 61.9; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 539.1885, found: 539.1894. The enantiomeric excess: 95%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 95/5, flow rate 0.5 mL/min, $T = 30$ °C, 254 nm): $t_1 = 18.32$ min (minor), $t_2 = 26.66$ min (major).

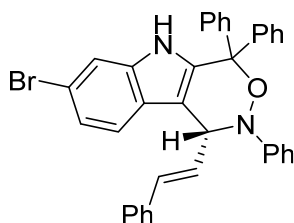


3ag

(*R,E*)-8-fluoro-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]

indole (3ag). The reaction ran for 41 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ag**. A white solid, 0.096 g, 92% yield. Mp: 159–160 °C; $[\alpha]_{\text{D}}^{20} = +128.2$ ($c = 0.1$, CH_2Cl_2); ^1H NMR (400 MHz, CDCl_3): δ 7.67 (s, 1H), 7.33–7.29 (m, 7H), 7.21–7.17 (m, 8H), 7.14–7.08 (m, 6H), 6.88–6.79 (m,

2H), 6.61 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 8.0, 16.0 Hz, 1H), 5.50 (d, J = 7.6 Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.4, 142.2, 138.2, 136.6, 133.6, 132.9, 128.5, 128.4, 128.3, 128.2, 128.1, 127.9, 127.6, 126.8, 126.6, 125.9, 125.8, 121.6, 116.8, 112.0 (d, J = 9.5 Hz), 111.0 (d, J = 4.4 Hz), 110.5, 110.3, 104.0, 103.8, 86.1, 62.1; ^{19}F NMR (376 MHz, CDCl_3): δ -123.3; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{FN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 523.2180, found: 523.2162. The enantiomeric excess: 93%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 90/10, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t_1 = 11.87 min (minor), t_2 = 16.79 min (major).

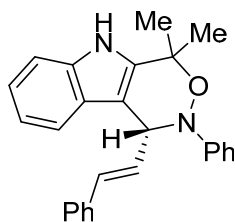


3ah

(*R,E*)-7-bromo-2,4,4-triphenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-b]

indole (3ah). The reaction ran for 36 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **3ah**. A white solid, 0.014 g, 12% yield. Mp: 139–140 °C; ^1H NMR (400 MHz, CDCl_3): δ 7.65 (s, 1H), 7.37–7.27 (m, 9H), 7.19–7.07 (m, 13H), 6.81 (t, J = 6.8 Hz, 1H), 6.59 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 8.0, 16.0 Hz, 1H), 5.52 (d, J = 7.6 Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 148.7, 142.2, 142.0, 137.2, 137.1, 136.6, 133.7, 128.5, 128.4, 128.3, 128.1, 128.0, 127.9, 127.8, 127.6, 126.9, 126.6, 126.5, 124.4, 123.5, 121.7, 120.0, 116.8, 115.6, 114.3, 111.0, 86.1, 62.0; HRMS (ESI) m/z calcd for $\text{C}_{36}\text{H}_{28}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 583.1380, found: 583.1362. The enantiomeric excess: 41%, determined by HPLC (FLM Chiral INC,

hexane/isopropanol = 95/5, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 8.88 min (minor), t₂ = 10.95 min (major).

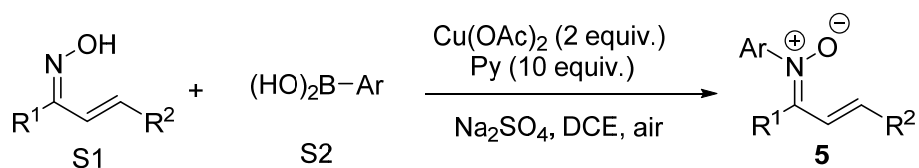


3ai

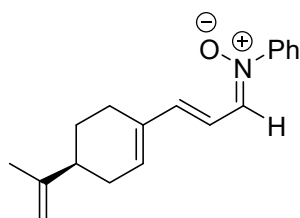
(*R,E*)-4,4-dimethyl-2-phenyl-1-styryl-1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole

(3ai). The reaction ran for 35 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **3ai**. A white solid, 0.072 g, 95% yield. Mp: 191–192 °C; ¹H NMR (400 MHz, DMSO-*d*₆): δ 11.20 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.0 Hz, 1H), 7.29–7.21 (m, 8H), 7.17–7.14 (m, 1H), 7.09 (t, *J* = 7.2 Hz, 1H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.91–6.82 (m, 2H), 6.36 (dd, *J* = 8.4, 16.0 Hz, 1H), 5.75 (d, *J* = 8.0 Hz, 1H), 1.71 (s, 3H), 1.67 (s, 3H); ¹³C {¹H} NMR (100 MHz, DMSO-*d*₆): δ 149.4, 140.2, 136.9, 136.4, 132.3, 129.1, 129.0, 128.0, 127.7, 126.6, 125.3, 121.4, 120.7, 119.4, 118.3, 115.8, 112.0, 107.7, 78.1, 59.8, 26.5, 26.3; HRMS (ESI) *m/z* calcd for C₂₆H₂₅N₂O [M+H]⁺: 381.1961, found: 381.1961. The enantiomeric excess: 44%, determined by HPLC (FLM Chiral ND, hexane/isopropanol = 85/15, flow rate 0.5 mL/min, T = 30 °C, 254 nm): t₁ = 12.36 min (major), t₂ = 27.36 min (minor).

3. Synthesis of compounds 5 and 6



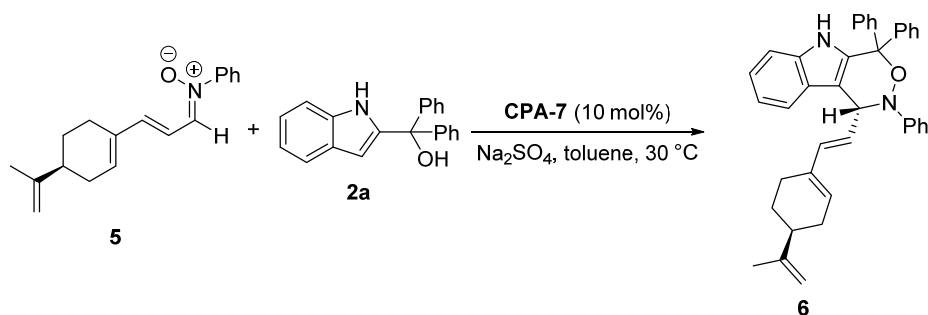
General procedure B: A scintillation vial was charged with oxime (0.3 mmol, 1.0 equiv.), aryl boronic acid (0.9 mmol, 3.0 equiv.), Cu(OAc)₂ (2.0 equiv.), and anhydrous Na₂SO₄ (8.0 equiv.). These solids were diluted with DCE to form a 0.1 M solution of oxime. Pyridine (10.0 equiv.) was added to the resulting slurry via syringe. The scintillation vial was then capped with a septum pierced with a ventilation needle and the reaction mixture was stirred at 25 °C for 12 h. DCE and pyridine were removed under reduced pressure and the crude reaction mixture was purified by medium pressure chromatography (1/6 to 1/1, ethyl acetate/petroleum ether) to give nitrone **5**.



5 (*E/Z* = 5:1)

(1*Z*,2*E*)-N-phenyl-3-((*S*)-4-(prop-1-en-2-yl)cyclohex-1-en-1-yl)prop-2-en-1-imine oxide (5**, *E/Z* = 5:1).** Prepared according to General Procedure and purified by flash chromatography on silica gel (1/2, ethyl acetate/petroleum ether) to give the compound as yellow oil, 0.015 g, 19% yield; *major isomer*: ¹H NMR (400 MHz, CDCl₃): δ 7.67–7.63 (m, 3H), 7.39–7.35 (m, 3H), 6.97–6.91 (m, 1H), 6.77 (d, *J* = 16.0 Hz, 1H), 6.06–6.02 (m, 1H), 4.69 (d, *J* = 10.4 Hz, 2H), 2.43–1.96 (m, 7H), 1.68 (s, 3H); ¹³C NMR (100 MHz, CDCl₃): δ 149.0, 147.2, 144.0, 137.3, 136.4, 136.2, 129.7, 129.0, 121.3, 116.3, 109.0, 40.7, 31.9, 27.0, 24.5, 20.7; *minor isomer*: ¹H NMR (400 MHz, CDCl₃): δ 7.67–7.63 (m, 3H), 7.39–7.35 (m, 3H), 6.97–6.91 (m, 1H), 6.44 (d, *J* = 15.2 Hz, 1H), 5.93–5.89 (m, 1H), 4.69 (d, *J* = 10.4 Hz, 2H), 2.43–1.96 (m, 7H), 1.68 (s, 3H);

^{13}C NMR (100 MHz, CDCl_3): δ 149.2, 147.2, 141.6, 141.3, 136.6, 135.9, 133.7, 129.0, 125.8, 121.2, 108.9, 40.8, 31.7, 27.1, 24.7, 21.8; HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{22}\text{NO}$ $[\text{M}+\text{H}]^+$: 268.1696, found: 268.1699.



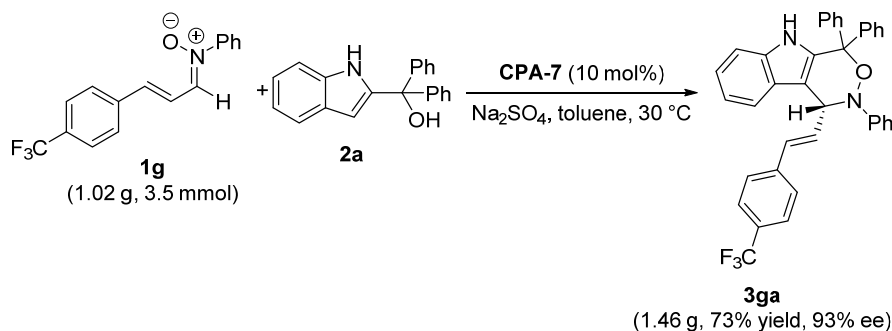
General procedure C: In a 10 mL reaction flask was charged with *N*-aryl nitron **5** (0.2 mmol), 2-indolemethanol **2a** (0.4 mmol, 2.0 equiv.), catalyst **CPA-7** (10 mol%), and Na_2SO_4 (200 mg) under N_2 atmosphere. Toluene (4 mL) was added to the reaction mixture. Then, the reaction vial was sealed with a polytetrafluoroethylene cap. The reaction mixture was stirred at 30 °C for 32-60 h until nitrones **5** was consumed completely (monitored by TLC). At this time, the solvent was removed under reduced pressure and the crude product was purified by flash column chromatography (the crude residue was dry loaded with silica gel, 1/50 to 1/6 ethyl acetate/petroleum ether as the eluent) to afford indole-fused 1,2-oxazine **6**.

(*R*)-2,4,4-triphenyl-1-((*E*)-2-((*R*)-4-(prop-1-en-2-yl)cyclohex-1-en-1-yl)vinyl)-

1,2,4,5-tetrahydro-[1,2]oxazino[5,4-*b*]indole (6**):** The reaction ran for 36 h. Purification by column chromatography (1/30, ethyl acetate/petroleum ether) afforded product **6**. A yellow oil, 0.039 g, 36% yield, > 20:1 *dr*; ^1H NMR (400 MHz, CDCl_3): δ 7.62 (s, 1H), 7.49 (d, J = 7.6 Hz, 1H), 7.34–7.32 (m, 2H), 7.27–7.23 (m, 5H), 7.19–7.16 (m, 5H), 7.14–7.12 (m, 2H), 7.10–7.03 (m, 3H), 6.82 (t, J = 6.8 Hz, 1H), 6.29 (d, J = 16.0 Hz, 1H), 5.76 (dd, J = 8.0, 15.6 Hz, 1H), 5.64–5.61 (m, 1H), 5.44 (d, J = 7.6 Hz, 1H), 4.62 (d, J = 7.6 Hz, 2H), 2.12–1.89 (m, 6H), 1.72–1.69 (m, 1H), 1.62 (s, 3H);

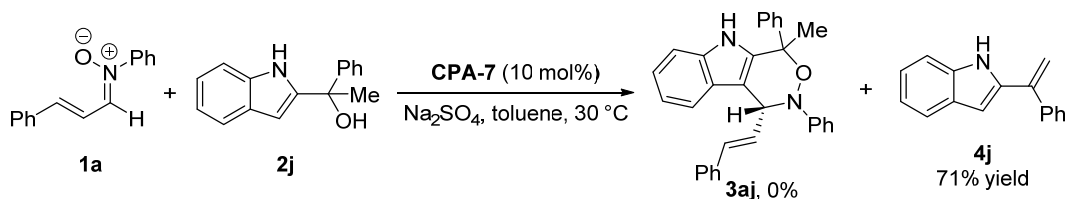
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3): δ 149.9, 148.9, 142.6, 142.4, 136.5, 136.4, 136.1, 135.0, 128.8, 128.7, 128.4, 128.3, 128.2, 128.0, 127.9, 127.8, 125.5, 123.0, 122.1, 121.2, 120.1, 119.0, 116.7, 111.4, 111.3, 108.6, 86.1, 62.1, 41.1, 31.3, 27.2, 24.9, 20.7; HRMS (ESI) m/z calcd for $\text{C}_{39}\text{H}_{37}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 549.2900, found: 549.2900.

4. Gram scalable preparation of **3ga**



In a 100 mL reaction flask was charged with *N*-aryl nitrone **1g** (1.02g, 3.5 mmol), 2-indolylmethanol **2a** (2.10 g, 7.0 mmol, 2.0 equiv.), catalyst **CPA-7** (0.26 g, 0.35 mmol) and Na_2SO_4 (3.5 g) under N_2 atmosphere. toluene (70 mL) was then added via syringe and the reaction vessel was sealed with a Teflon cap. The reaction mixture was stirred vigorously at $30\text{ }^\circ\text{C}$ for 45 h until nitrones **1g** was consumed completely (monitored by TLC). At this time, the solvent was removed under reduced pressure and the crude product was purified by flash column chromatography (1/100, ethyl acetate/petroleum ether) to afford product **3ga** (1.46 g, 73% yield, 93% ee).

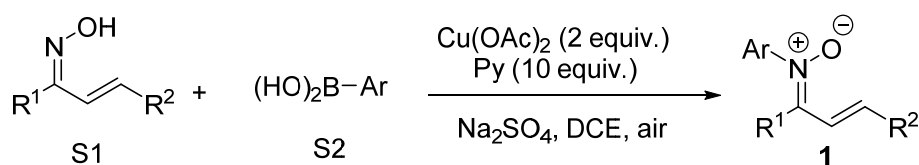
5. Synthesis of **4j**



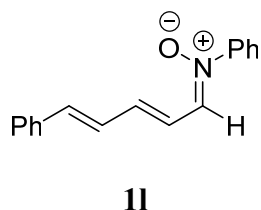
The reaction ran for 30 h. Purification by column chromatography (1/50, ethyl acetate/petroleum ether) afforded product **4j**.

2-(1-phenylvinyl)-1H-indole (4j).^[6] A white solid, 0.062 g, 71% yield; ¹H NMR (500 MHz, CDCl₃): δ 7.95 (s, 1H), 7.47 (d, J = 8.0 Hz, 1H), 7.37-7.35 (m, 2H), 7.29–7.28 (m, 3H), 7.19 (d, J = 8.0 Hz, 1H), 7.09 (t, J = 7.5 Hz, 1H), 7.01 (t, J = 8.0 Hz, 1H), 6.40 (s, 1H), 5.47 (s, 1H), 5.25 (s, 1H).

6. Synthesis of nitrones 1l and 1m

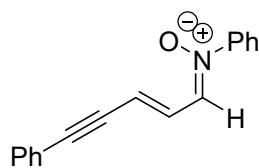


General procedure D: A scintillation vial was charged with oxime (3.0 mmol, 1.0 equiv.), aryl boronic acid (9.0 mmol, 3.0 equiv.), Cu(OAc)₂ (2.0 equiv.), and anhydrous Na₂SO₄ (8.0 equiv.). These solids were diluted with DCE to form a 0.1 M solution of oxime. Pyridine (10.0 equiv.) was added to the resulting slurry via syringe. The scintillation vial was then capped with a septum pierced with a ventilation needle and the reaction mixture was stirred at 25 °C for 1-2 h. DCE and pyridine were removed under reduced pressure and the crude reaction mixture was purified by medium pressure chromatography (1/4 to 1/3, ethyl acetate/petroleum ether) to give nitrone **1**.



(1Z,2E,4E)-N,5-diphenylpenta-2,4-dien-1-imine oxide (**1l**): The reaction ran for 2 h. Purification by column chromatography (1/3, ethyl acetate/petroleum ether) afforded nitrone **1l**. A yellow solid, 0.463 g, 62% yield, Mp: 121-122 °C; ¹H NMR (500 MHz, DMSO-*d*₆): δ 8.38 (d, J = 9.5 Hz, 1H), 7.87 (d, J = 7.5 Hz, 2H), 7.59 (d, J = 7.5 Hz,

2H), 7.53–7.47 (m, 3H), 7.39 (t, $J = 7.5$ Hz, 2H), 7.31–7.25 (m, 2H), 7.21–7.16 (m, 1H), 7.12 (dd, $J = 9.5, 15.0$ Hz, 1H), 6.91 (d, $J = 15.5$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, DMSO- d_6): δ 147.4, 140.5, 137.1, 137.0, 135.7, 130.3, 129.9, 129.5, 129.3, 128.9, 127.4, 123.8, 121.4; HRMS (ESI) m/z calcd for $\text{C}_{17}\text{H}_{16}\text{NO}$ $[\text{M}+\text{H}]^+$: 250.1226, found: 250.1226.



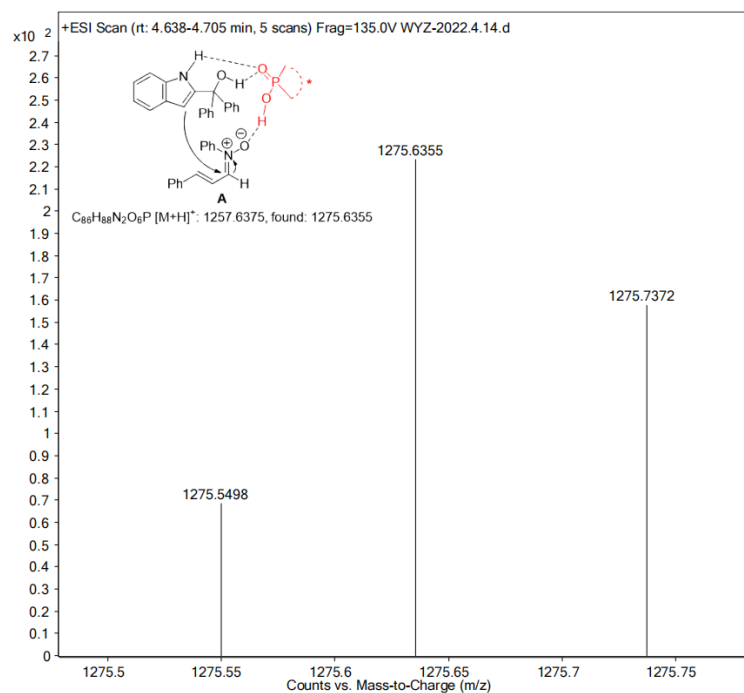
1m

(1Z,2E)-N,5-diphenylpent-2-en-4-yn-1-imine oxide (**1m**): The reaction ran for 1 h. Purification by column chromatography (1/4, ethyl acetate/petroleum ether) afforded nitrone **1m**. A yellow solid, 0.408 g, 55% yield, Mp: 69-70 °C; ^1H NMR (500 MHz, CDCl_3): δ 7.73 (d, $J = 9.5$ Hz, 1H), 7.67-7.66 (m, 2H), 7.42-7.34 (m, 6H), 7.30–7.27 (m, 3H), 6.51 (d, $J = 16.0$ Hz, 1H); $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, CDCl_3): δ 147.4, 134.6, 131.8, 130.7, 130.3, 129.1, 128.9, 128.4, 128.3, 122.7, 121.4, 118.3, 98.7, 89.4; HRMS (ESI) m/z calcd for $\text{C}_{17}\text{H}_{14}\text{NO}$ $[\text{M}+\text{H}]^+$: 248.1070, found: 248.1068.

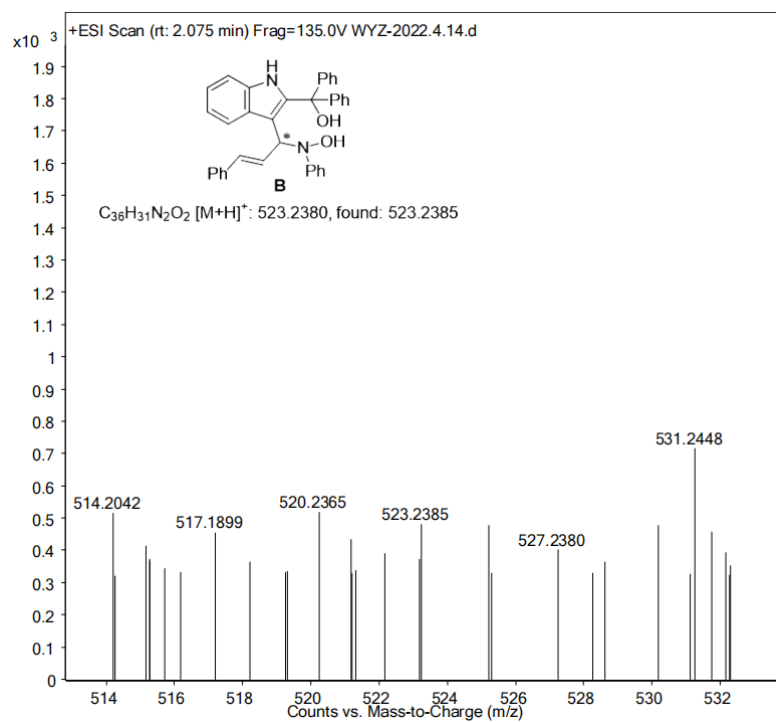
7. HRMS trace experiments

When **1a** and **2a** was mixed under the standard conditions for 1 h, the reaction mixture was directly used to do HRMS (ESI) trace experiments, and intermediate **A**, **B** and **C** could be detected. The details were as below:

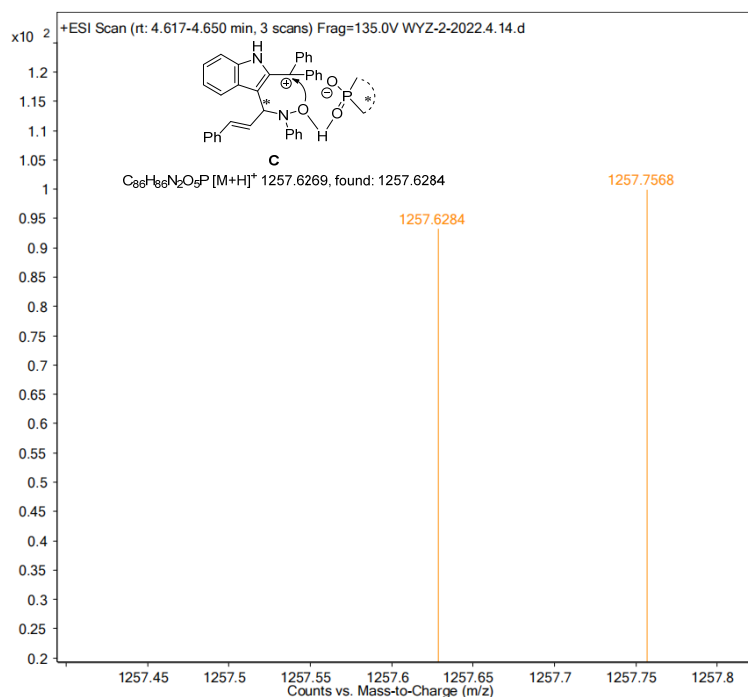
Intermediate **A**:



Intermediate **B**:



Intermediate C:



8. X-ray structure for compound 3aa

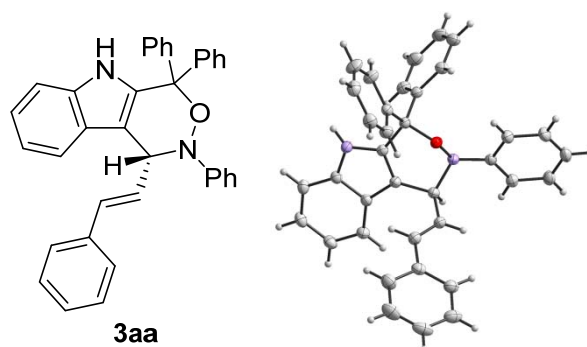


Figure S1: ORTEP diagram of **3aa** at 50% ellipsoid probability.

The preparation of crystal 3aa: compound **3aa** (30 mg) was dissolved in DCM (1.0 mL) at room temperature. Petroleum ether (2.0 mL) was dropped carefully to the mixture. Then, cover the small bottle with a thin film and make a few small holes in the film to allow the solvent to slowly evaporate for several days. Finally, a needle crystal was obtained.

Table S1. Crystal data and structure refinement details for compound **3aa**.

Crystallographic data and structure refinement parameters for compound 3aa	
Empirical formula	C ₃₆ H ₂₈ N ₂ O
Formula weight (<i>M</i>)	504.60
Crystal system	triclinic

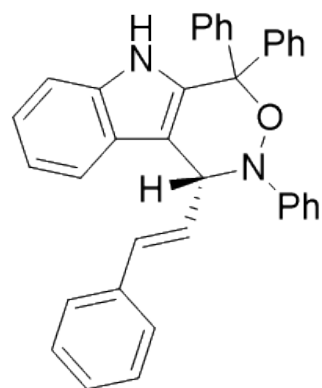
Space group	P $\bar{1}$
<i>a</i> (Å)	10.2072(6)
<i>b</i> (Å)	10.9695(5)
<i>c</i> (Å)	13.5745(6)
α (°)	69.772(4)
β (°)	69.194(5)
γ (°)	76.134(4)
Volume(Å ³)	1321.28(13)
<i>Z</i>	2
D _{calc} (g cm ⁻³)	1.268
<i>F</i> (000)	532.0
Reflections collected	17613
Independent reflections	5321
<i>R</i> _{int}	0.0245
Goodness-of-fit on <i>F</i> ²	1.055
<i>R</i> ₁ , <i>wR</i> ₂	<i>R</i> ₁ = 0.0384
[<i>I</i> ≥ 2σ(<i>I</i>)]	<i>R</i> ₂ = 0.1016
	<i>R</i> ₁ = 0.0424
<i>R</i> ₁ , <i>wR</i> ₂ [all data]	<i>R</i> ₂ = 0.1046

9. References

- [1] C. Wei, Z. Zhou, G.-L. Pang, C. Liang, D.-L. Mo, *Org. Lett.*, 2022, **24**, 4104.
- [2] C. Wei, X.-L. Cheng, Y.-J. Chen, C. Liang, D.-L. Mo, *Adv. Synth. Catal.*, 2023, **365**, 2976.
- [3] Z. Li, P.-X. Zhang, Z.-Z. Li, X.-L. Zhang, H.-Y. Cao, Y.-N. Gao, M. Bian, H.-Y. Chen, Z.-J. Liu, *Org. Lett.*, 2022, **24**, 6863.
- [4] X.-P. Ma, W.-M. Shi, X.-L. Mo, X.-H. Li, L.-G. Li, C.-X. Pan, B. Chen, G.-F. Su, D.-L. Mo, *J. Org. Chem.*, 2015, **80**, 10098.
- [5] T.-Z. Li, S.-J. Liu, Y.-W. Sun, S. Deng, W. Tan, Y. Jiao, Y.-C. Zhang, F. Shi, *Angew. Chem. Int. Ed.*, 2021, **60**, 2355.
- [6] S.-J. Liu, T.-Z. Li, N.-Y. Wang, Q. Cheng, Y. Jiao, Y.-C. Zhang, F. Shi, *Org. Chem. Front.* 2024, **11**, 4812.

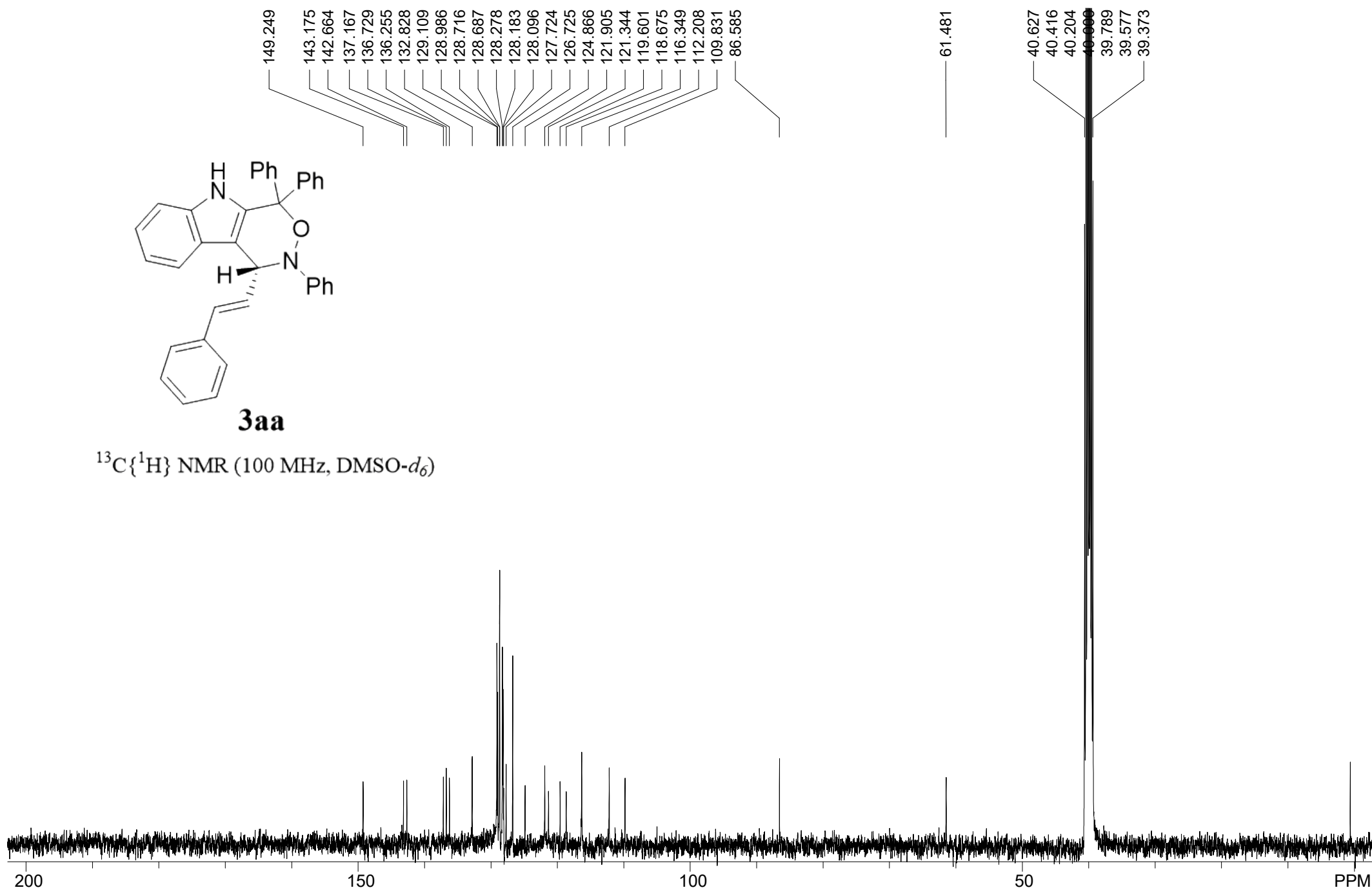
10. NMR spectra for 3, 5, 6, 4, 1, and HPLC spectra for compounds 3

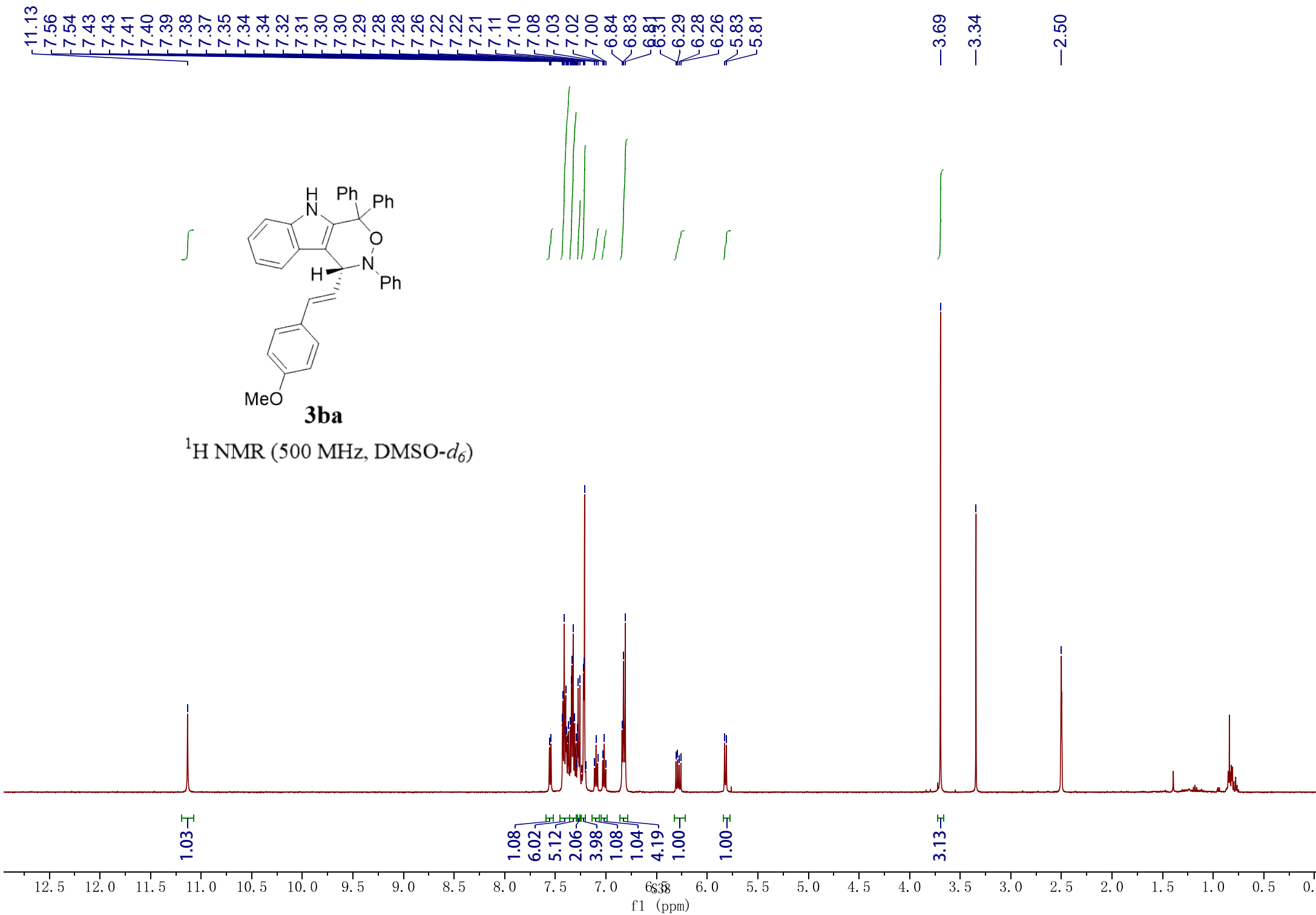
 ^1H NMR (400 MHz, DMSO- d_6)

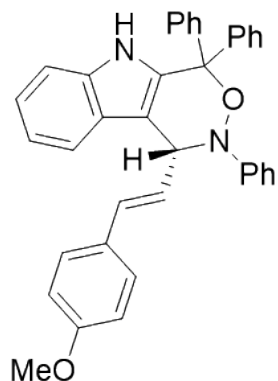


3aa

$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, $\text{DMSO}-d_6$)

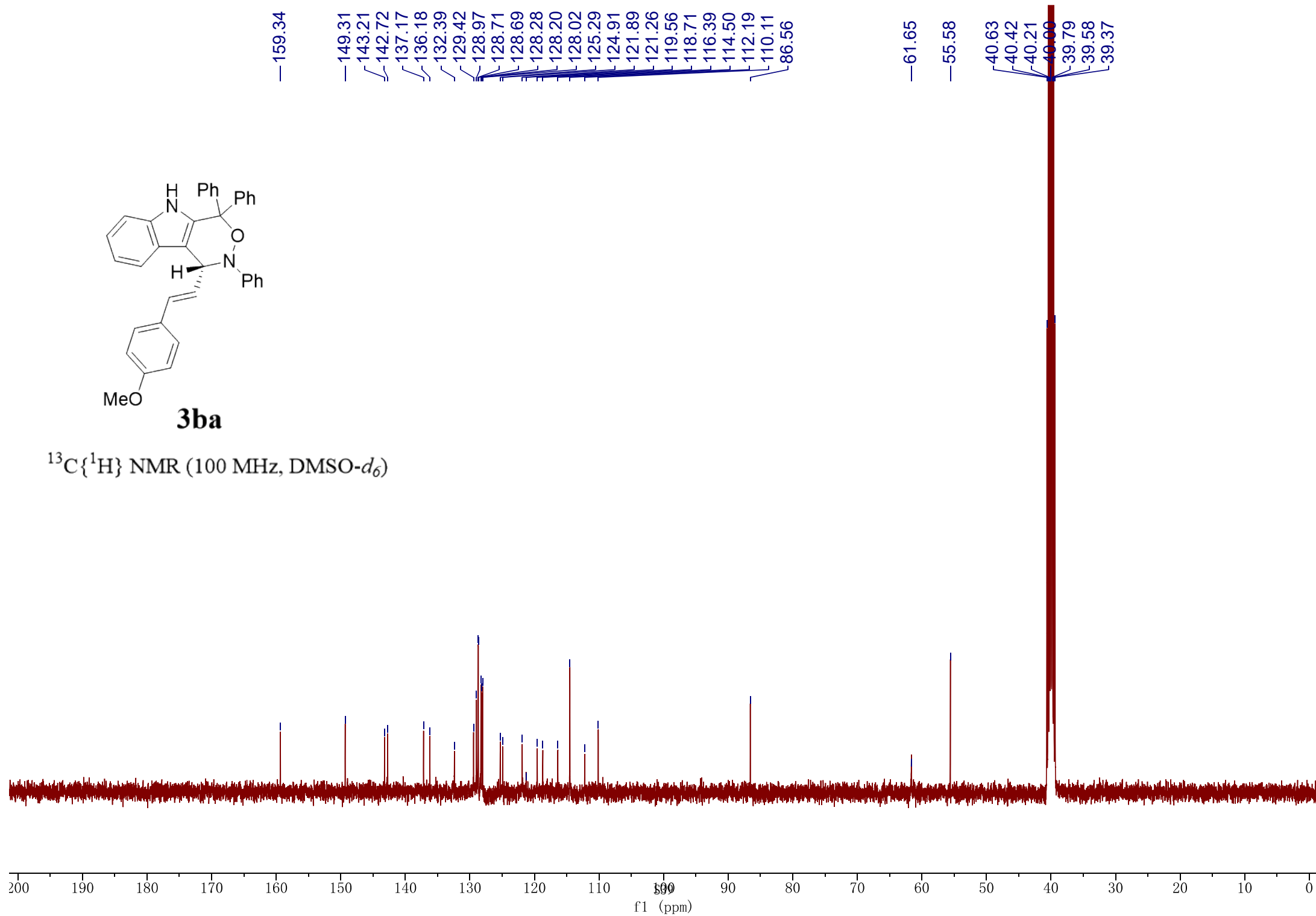


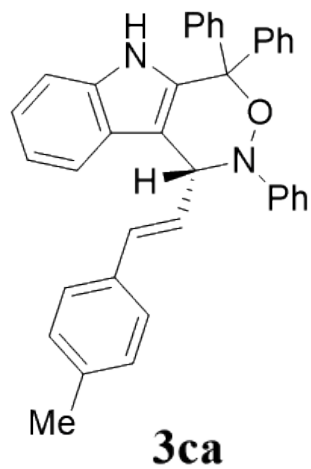




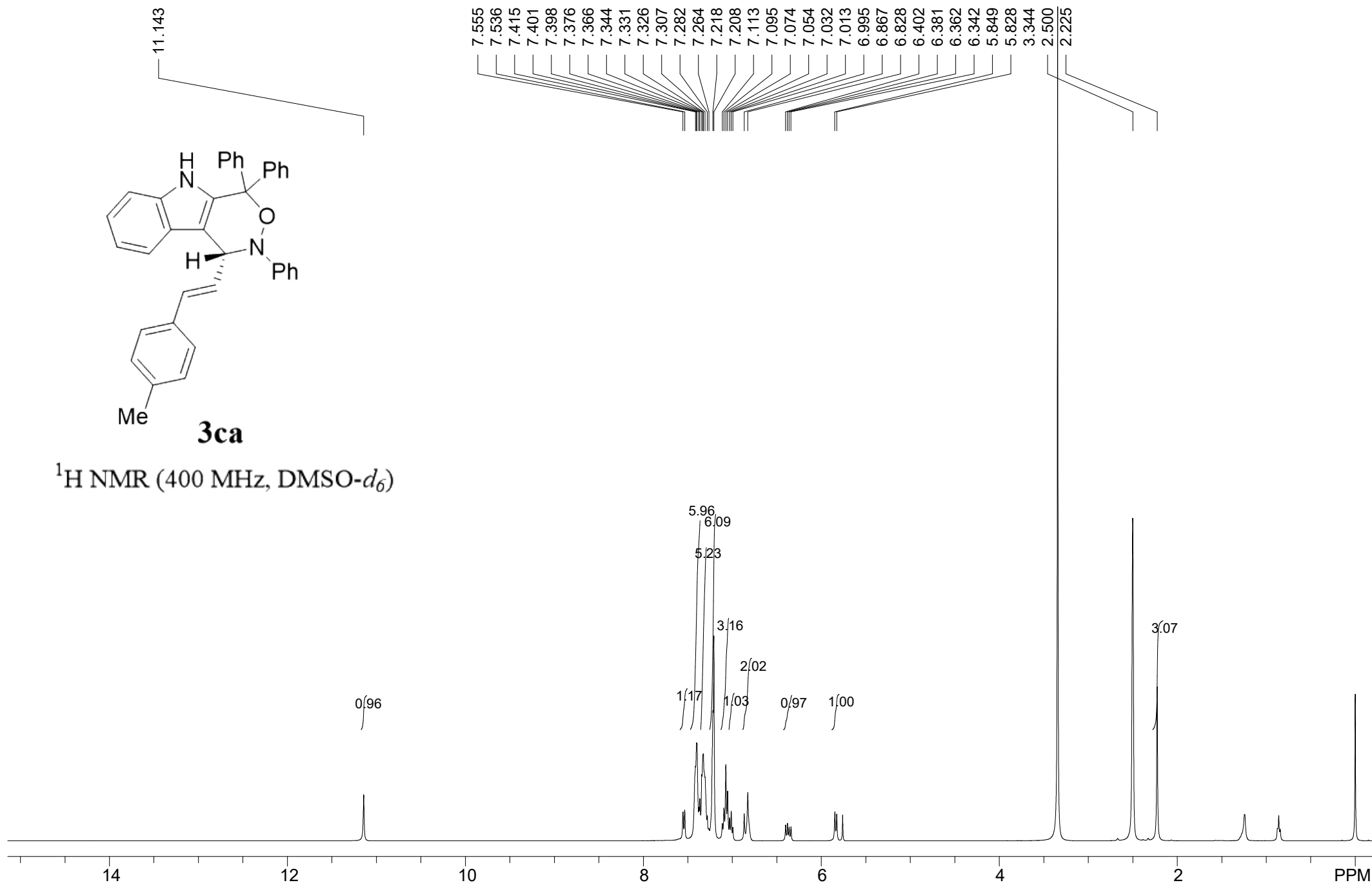
3ba

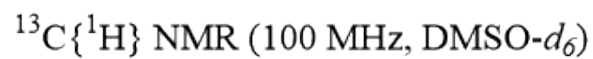
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, $\text{DMSO}-d_6$)

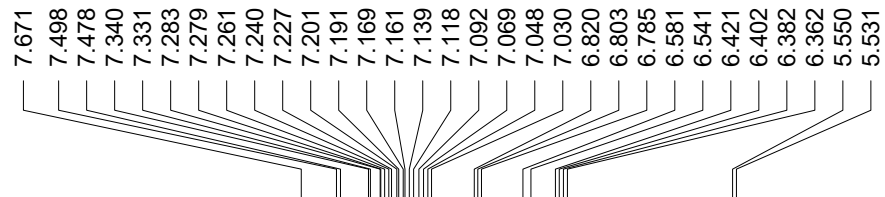
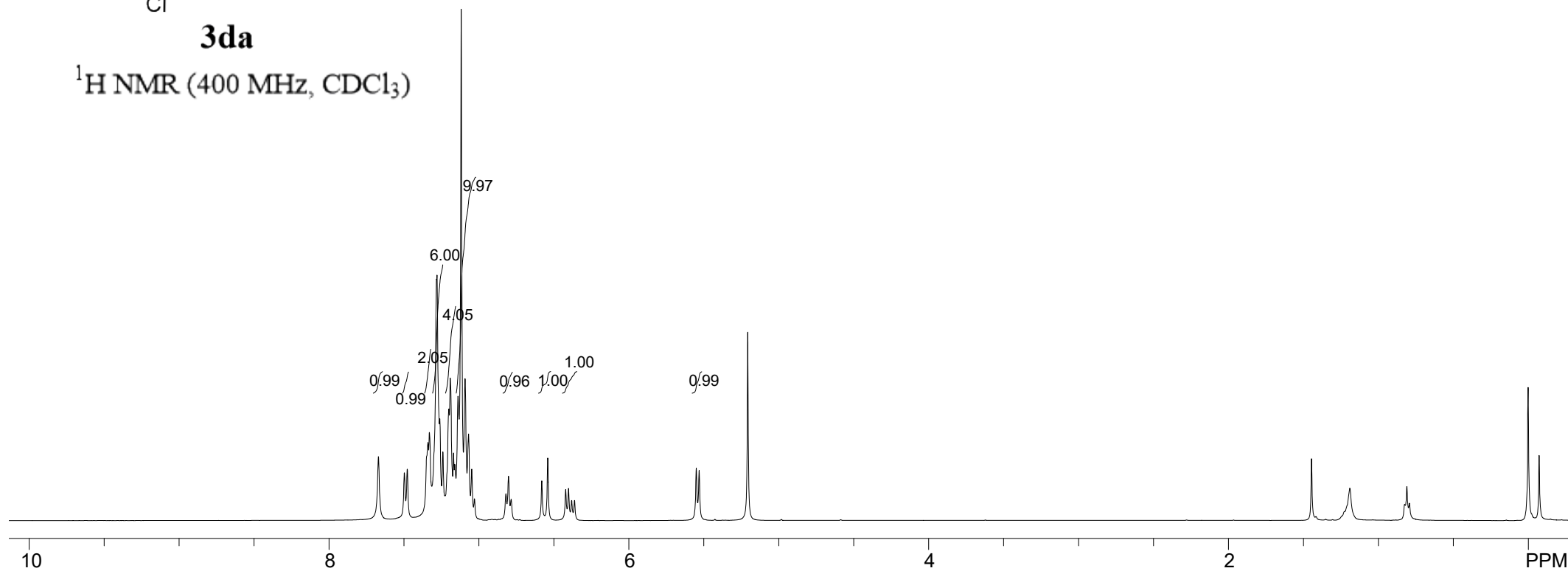


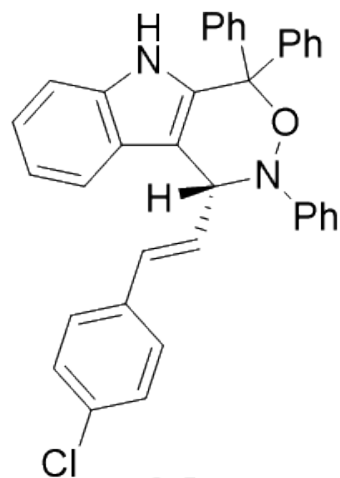


^1H NMR (400 MHz, $\text{DMSO}-d_6$)



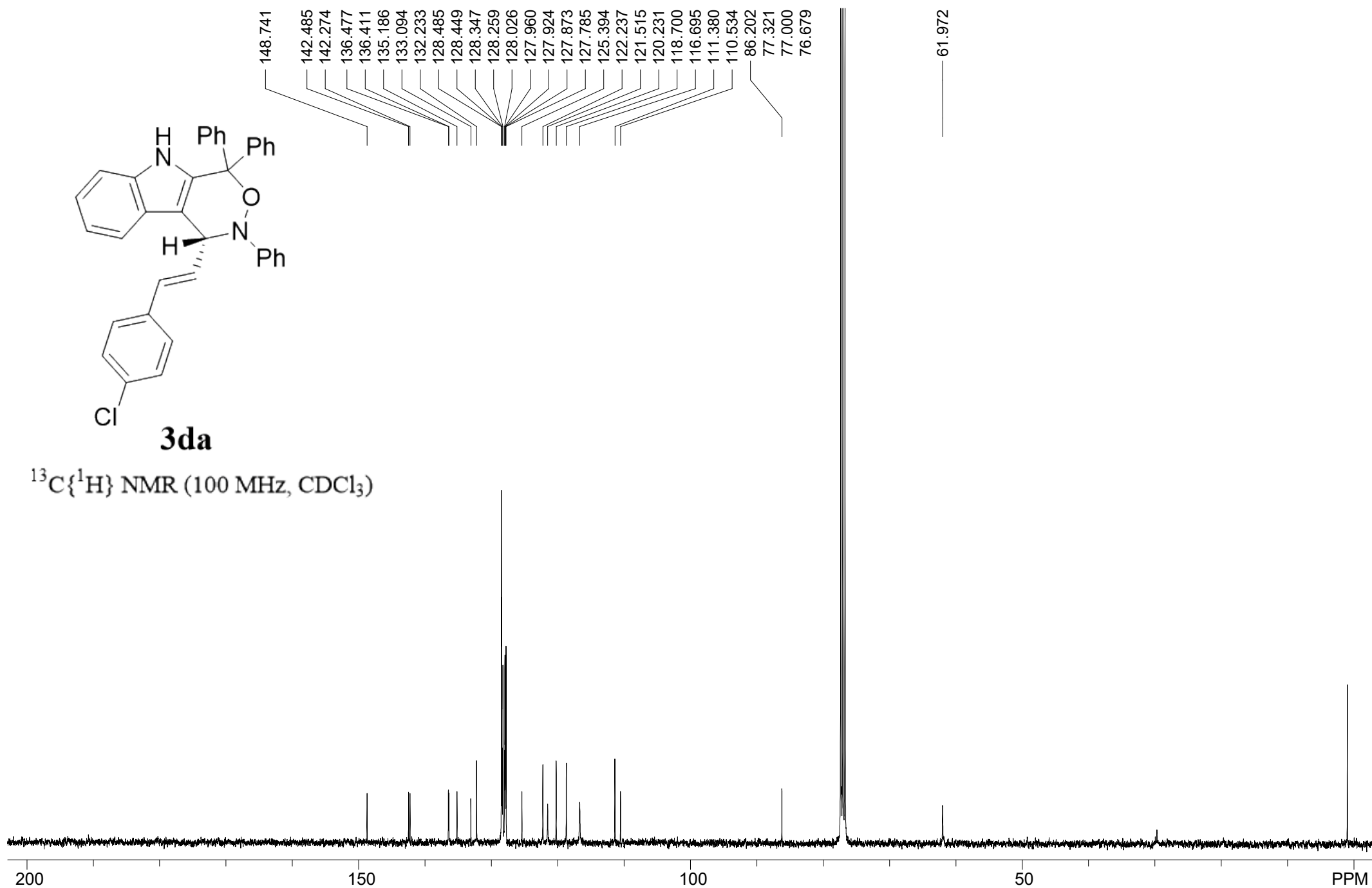


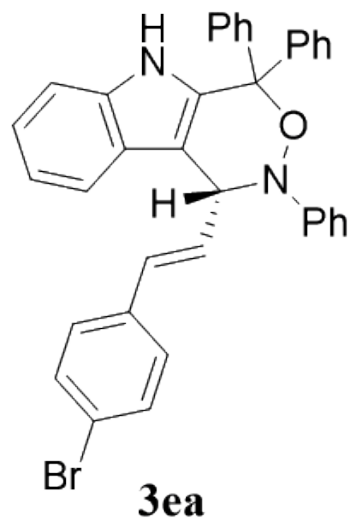
¹H NMR (400 MHz, CDCl₃)



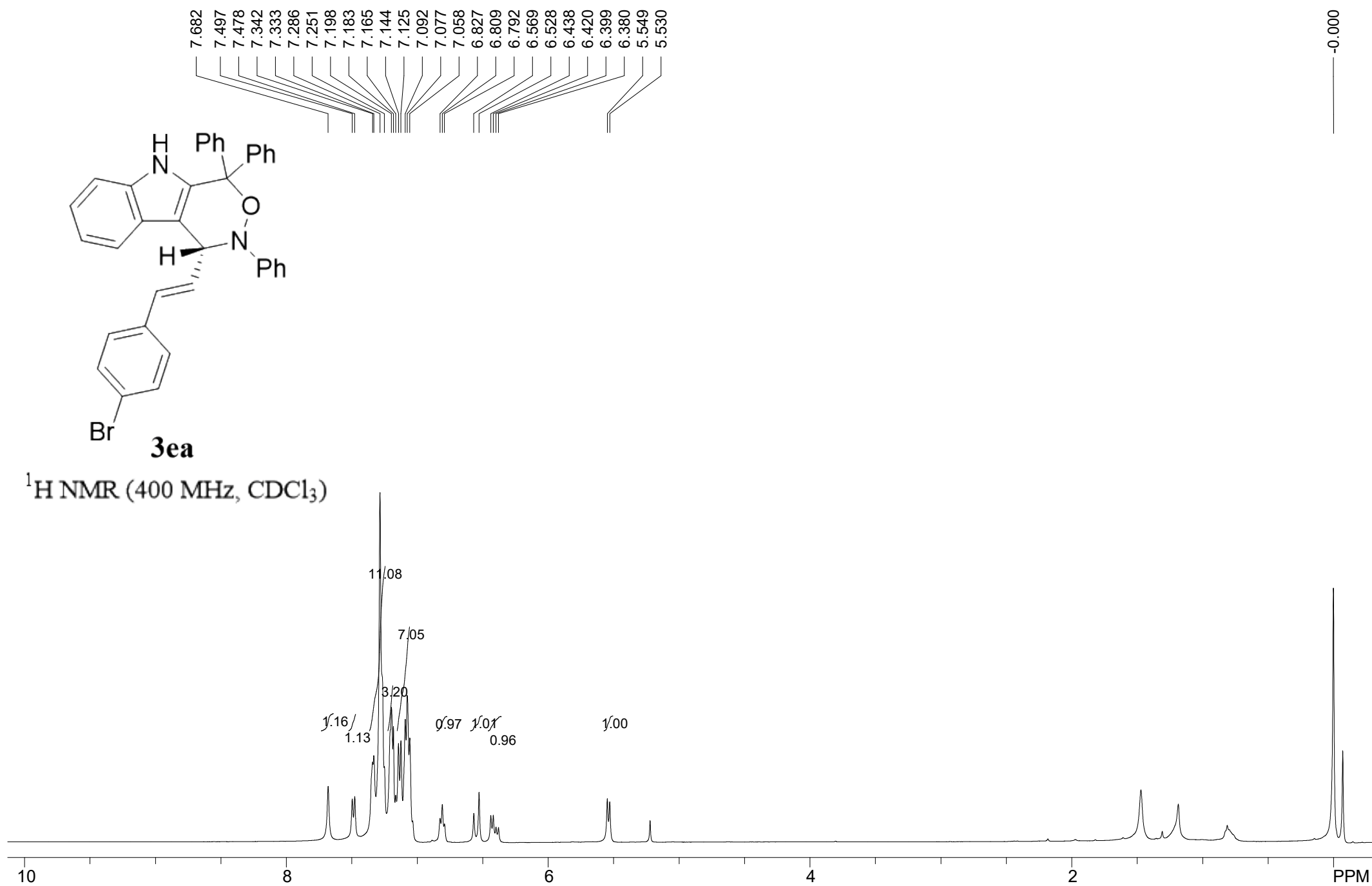
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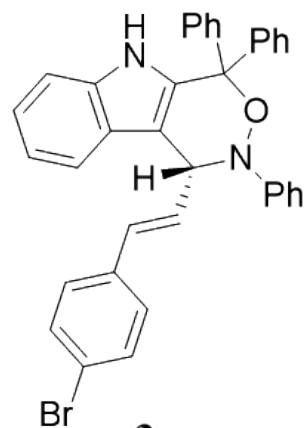
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)



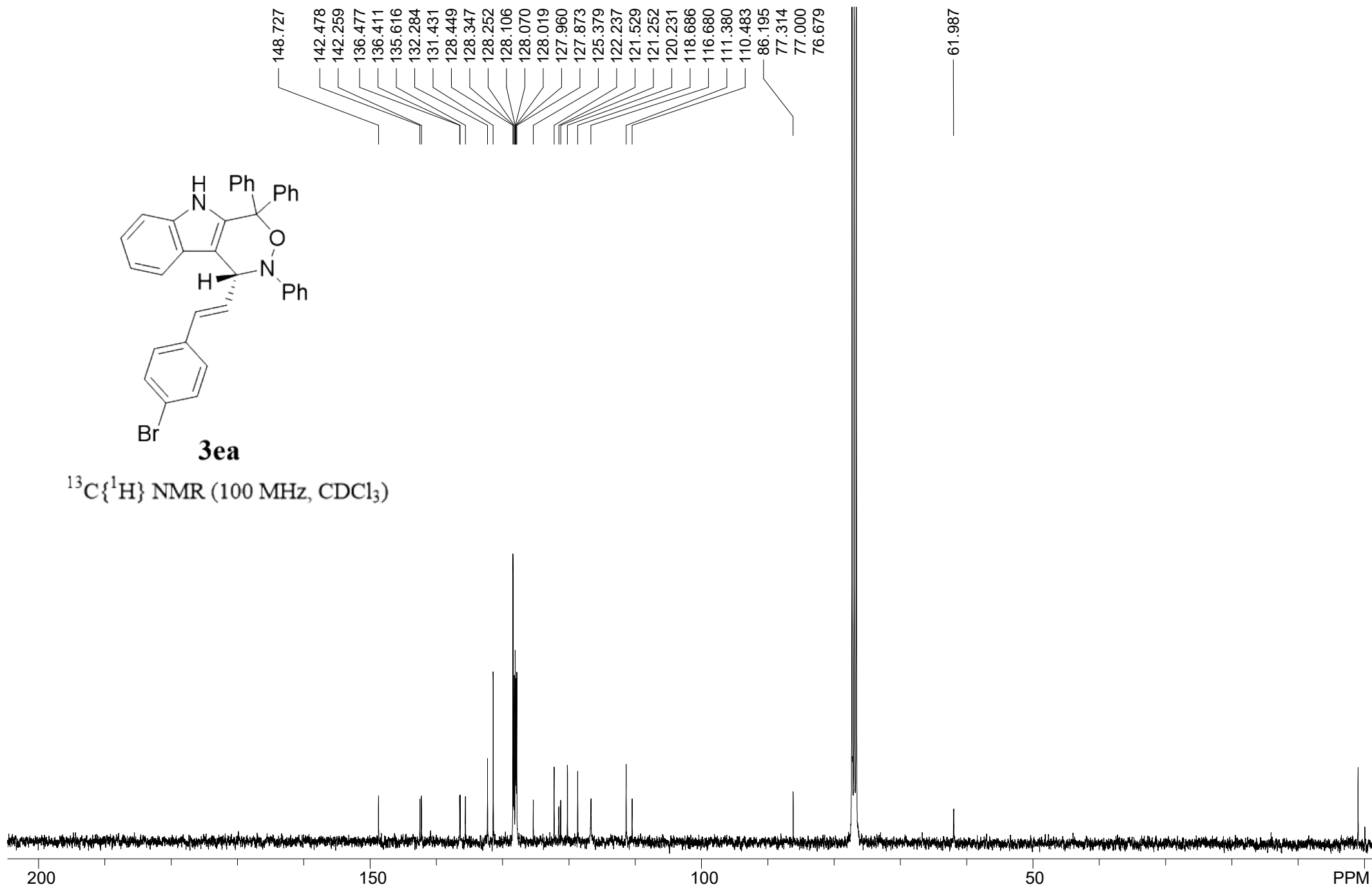
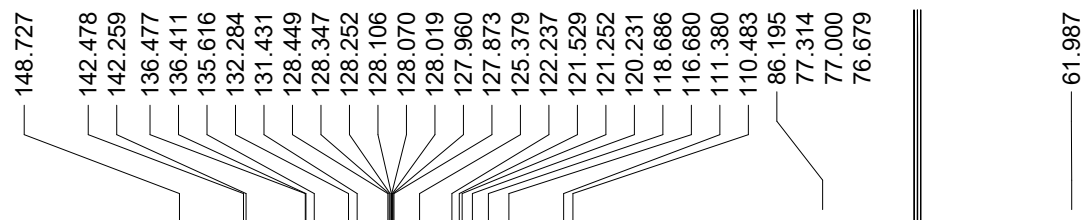


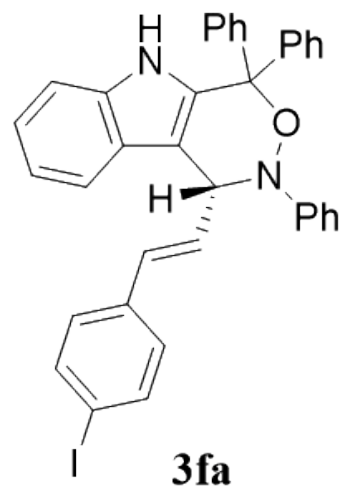
^1H NMR (400 MHz, CDCl_3)



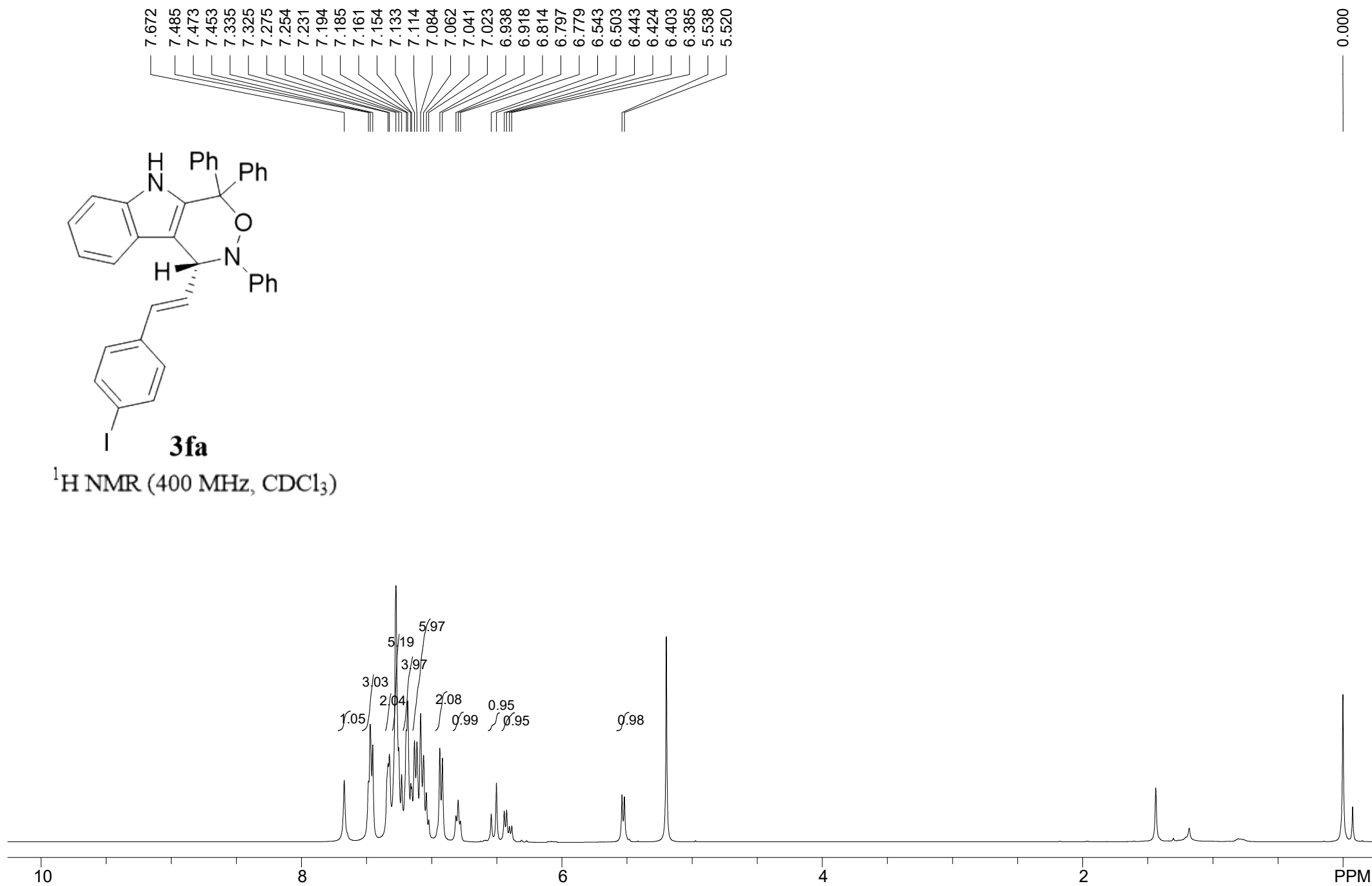


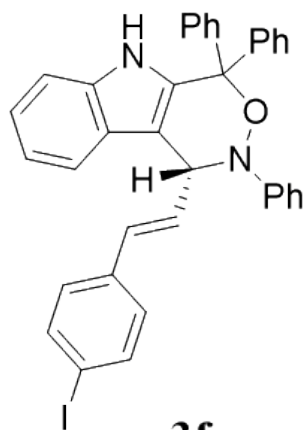
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)





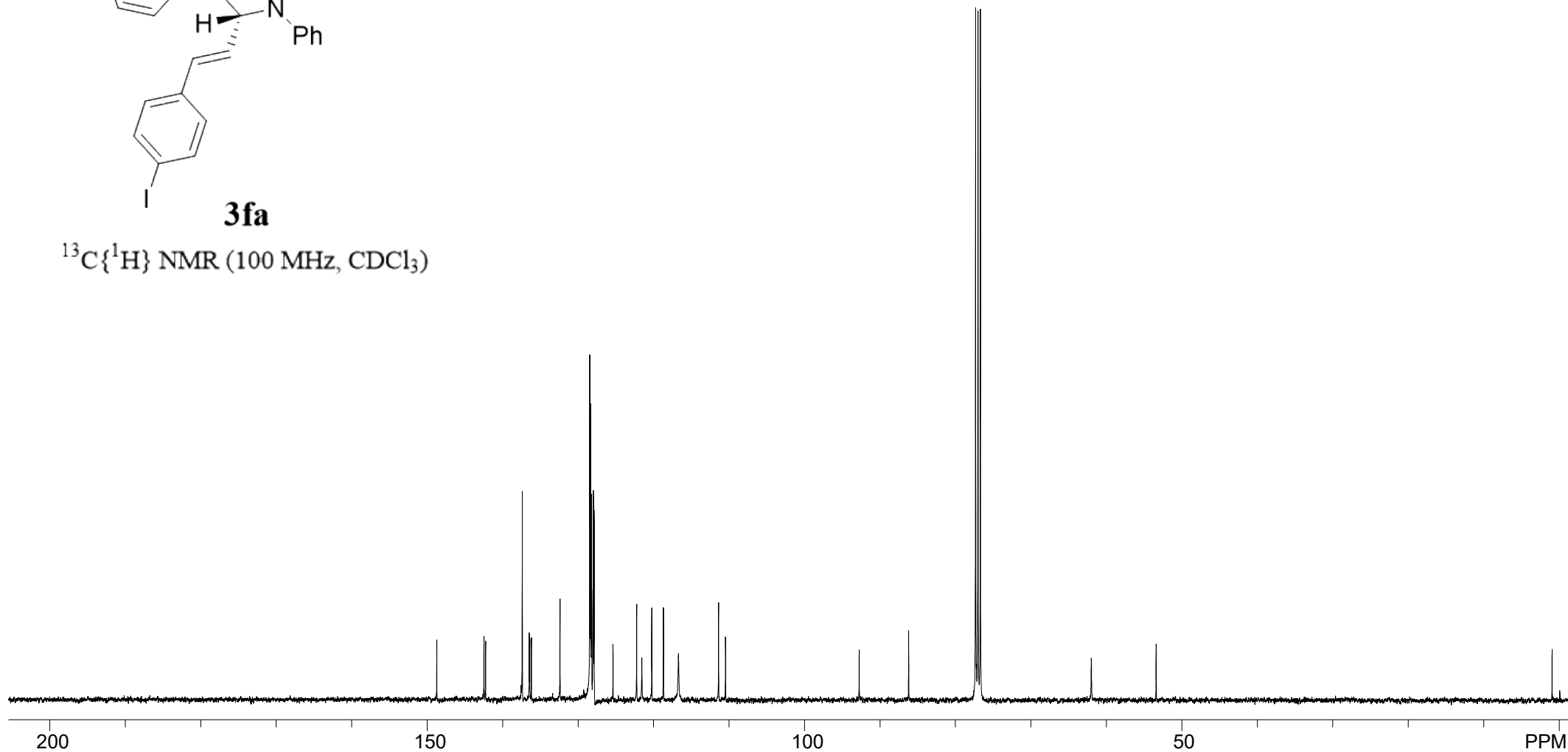
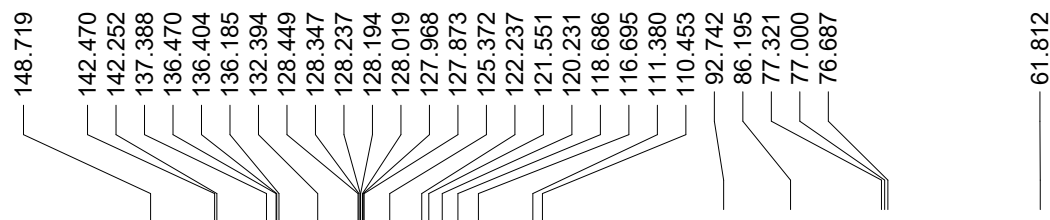
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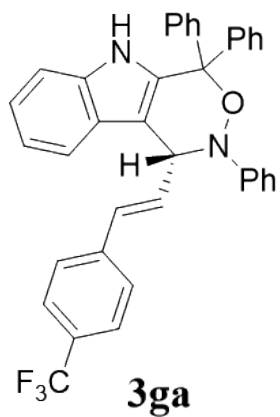




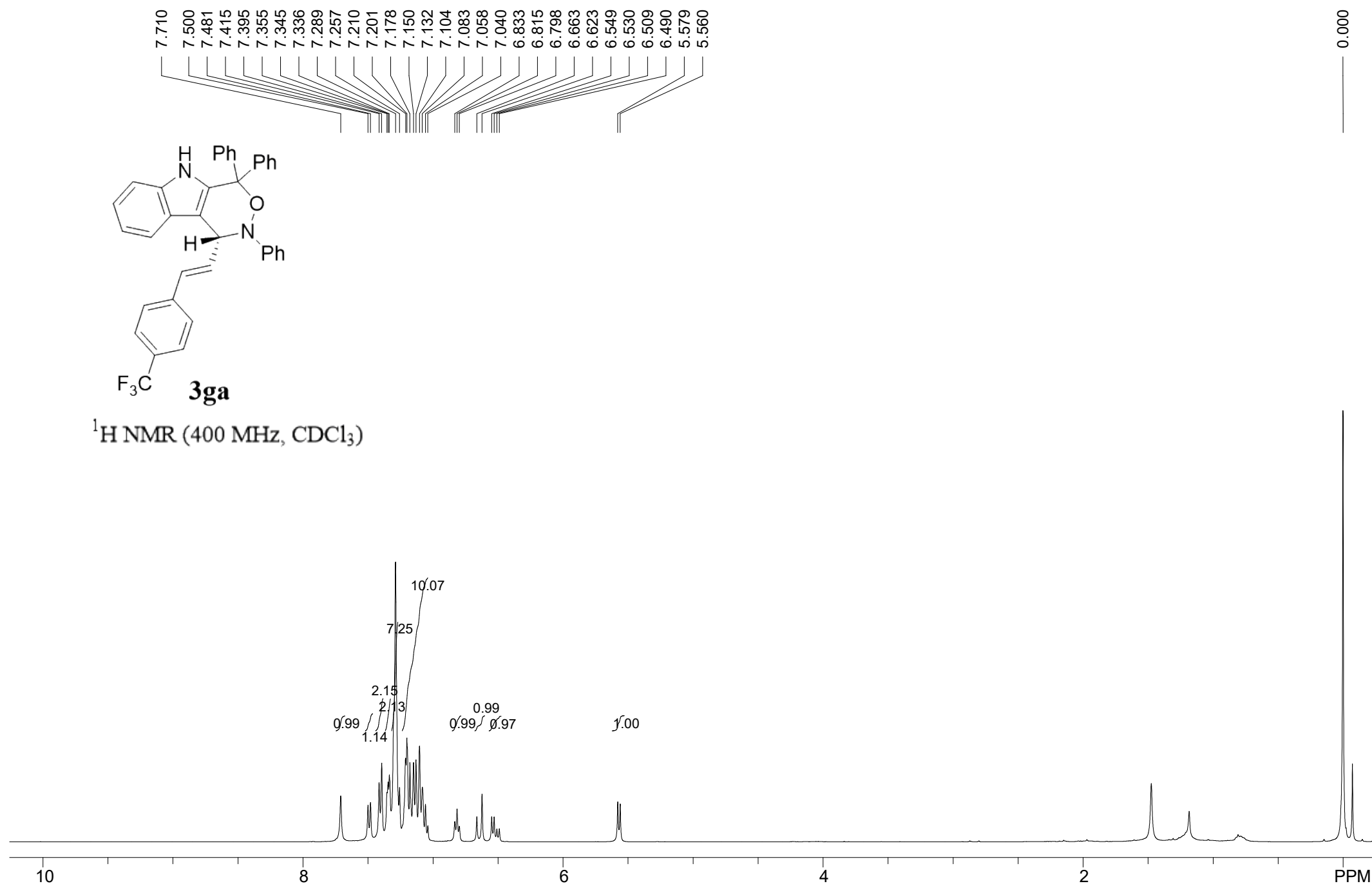
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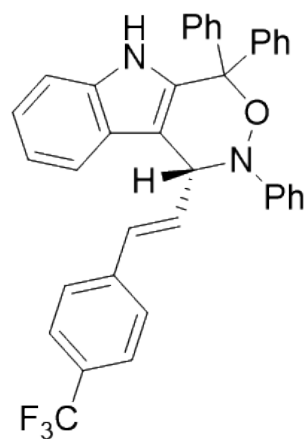
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)





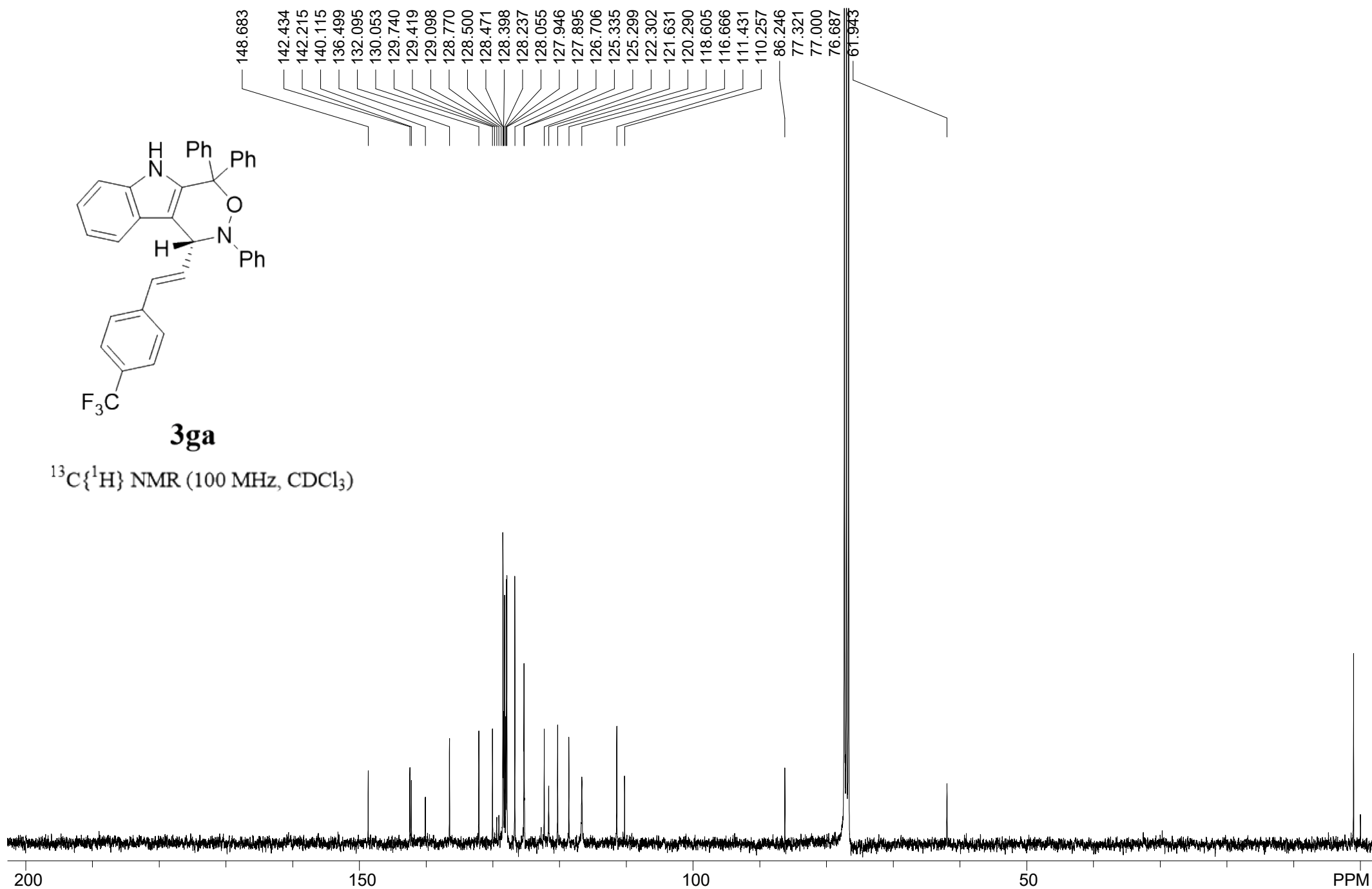
^1H NMR (400 MHz, CDCl_3)

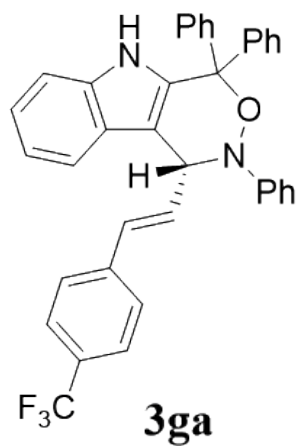




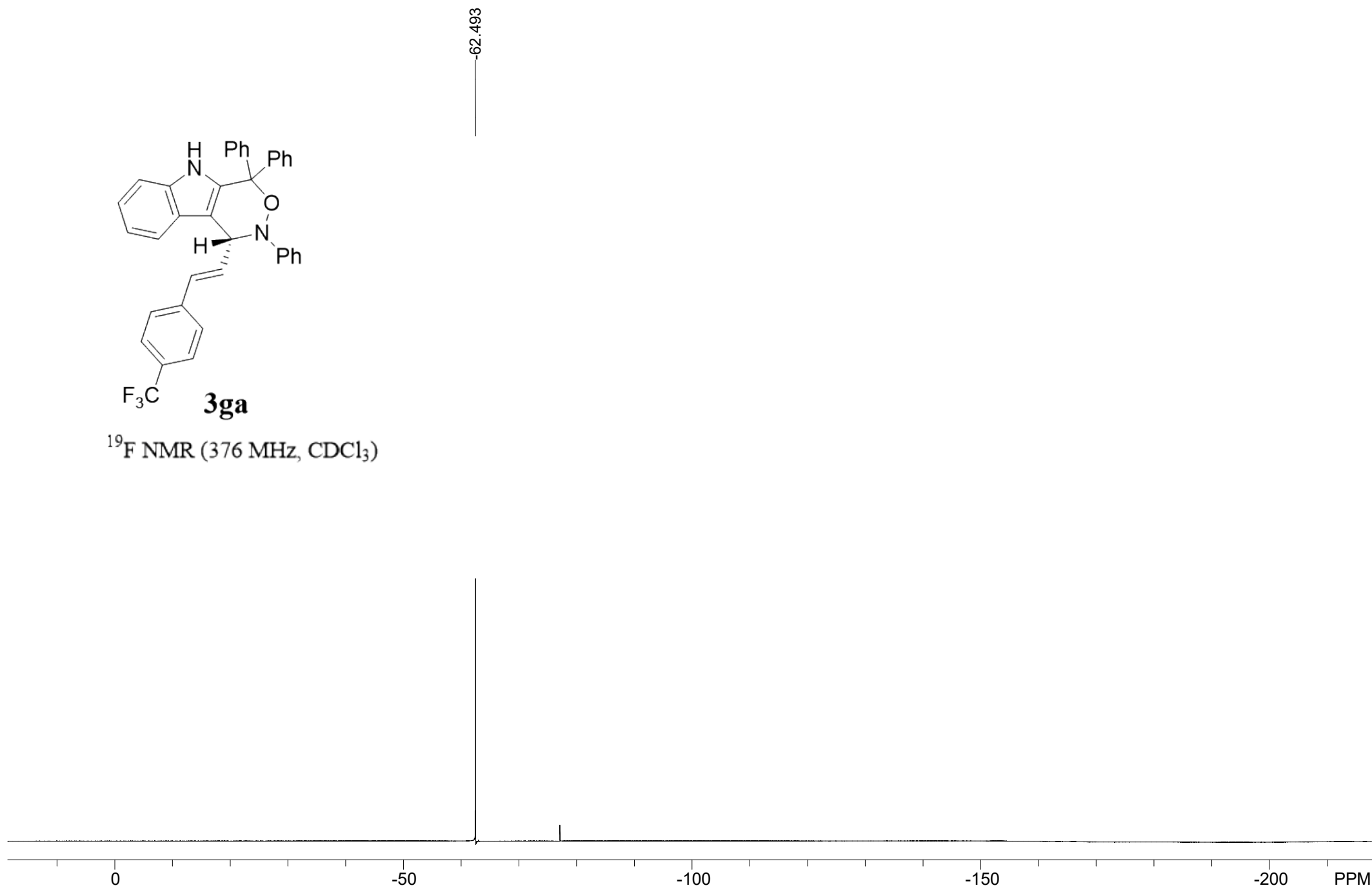
3ga

$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

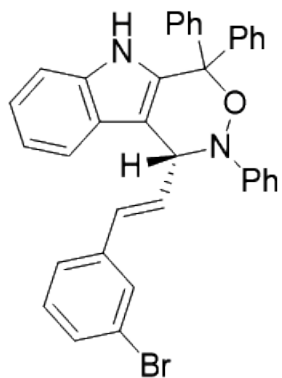




^{19}F NMR (376 MHz, CDCl_3)

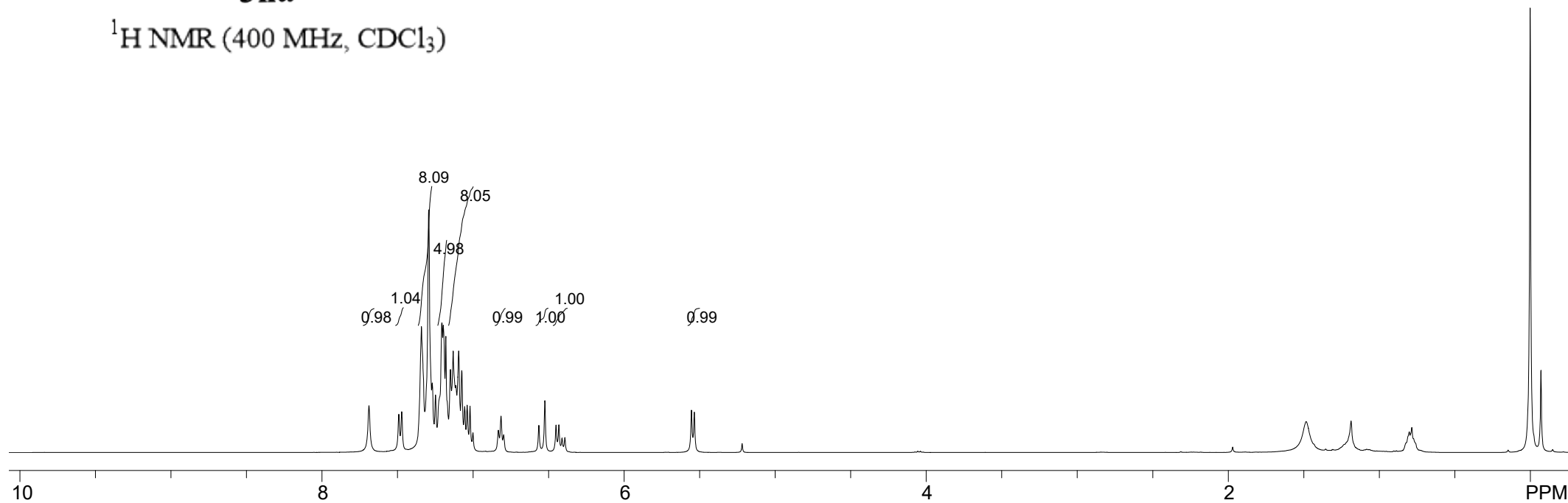


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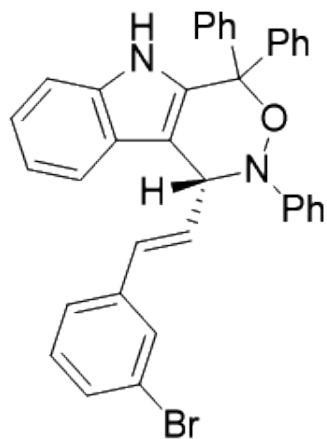


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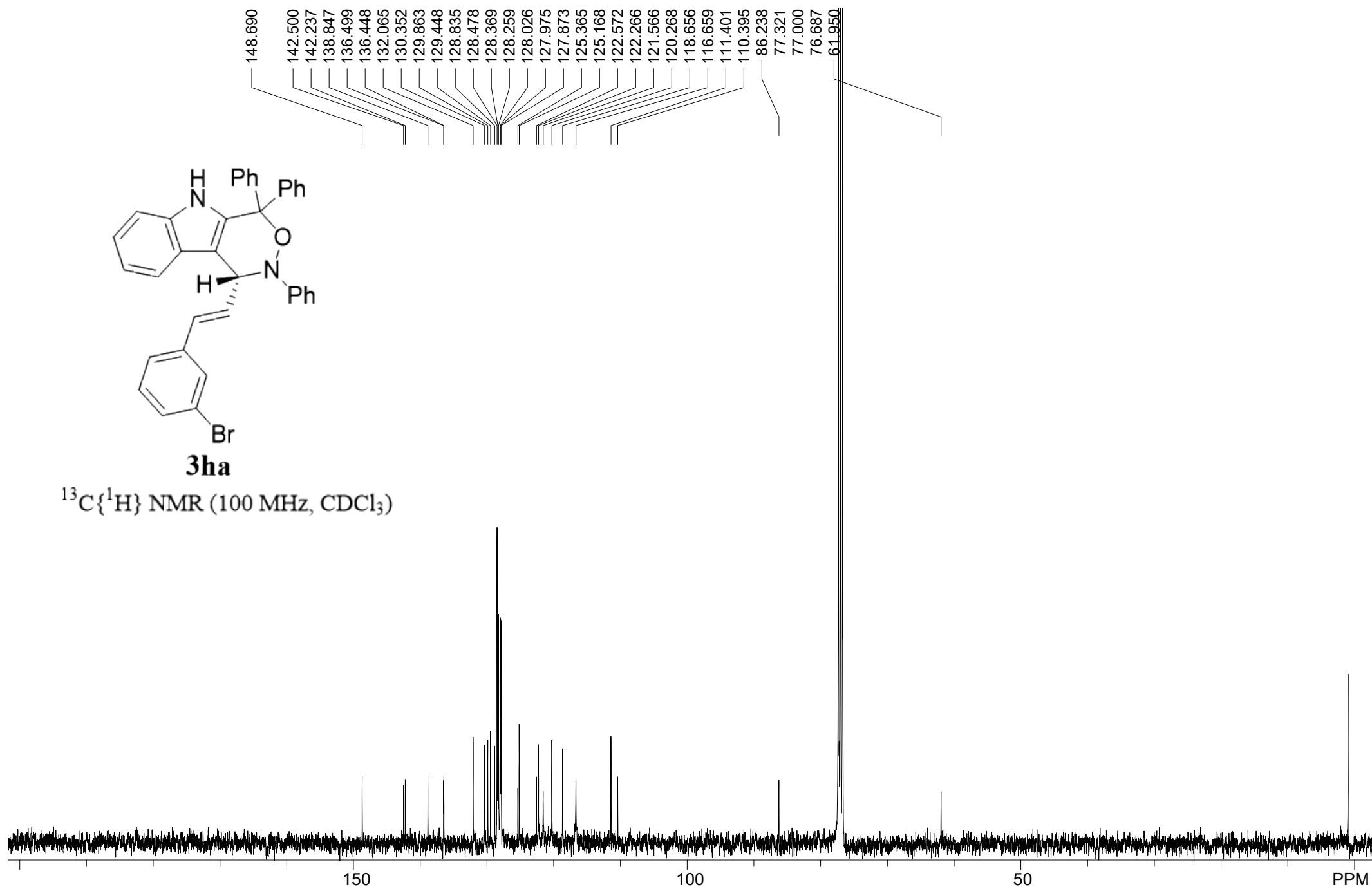


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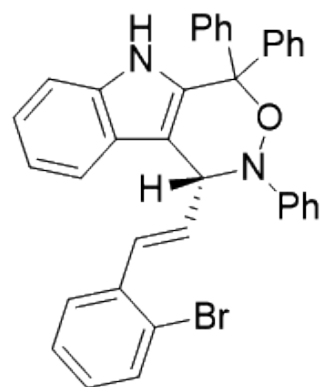


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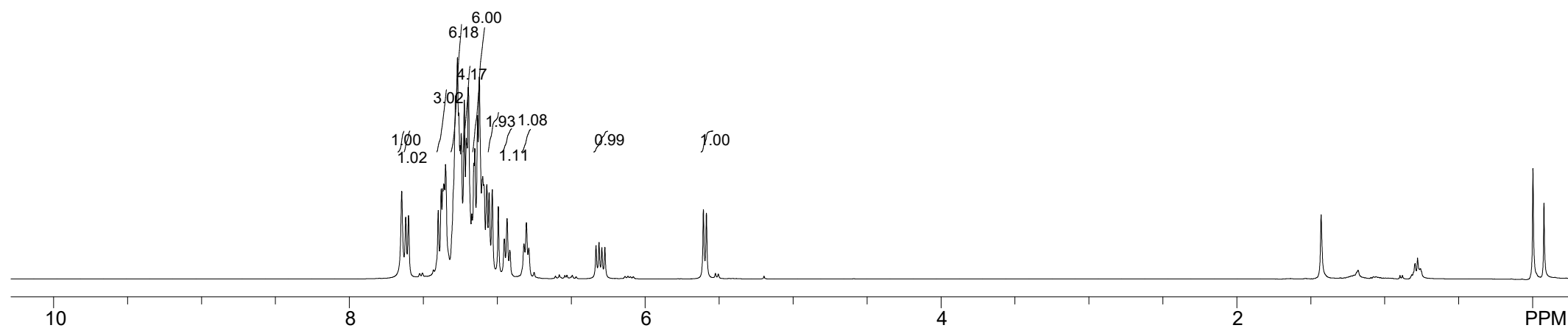


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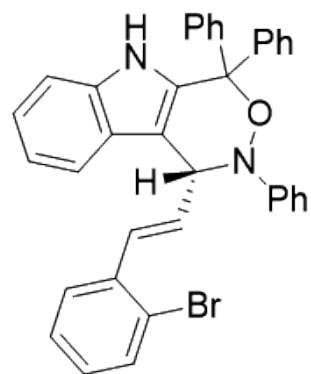


3ia

¹H NMR (400 MHz, CDCl₃)

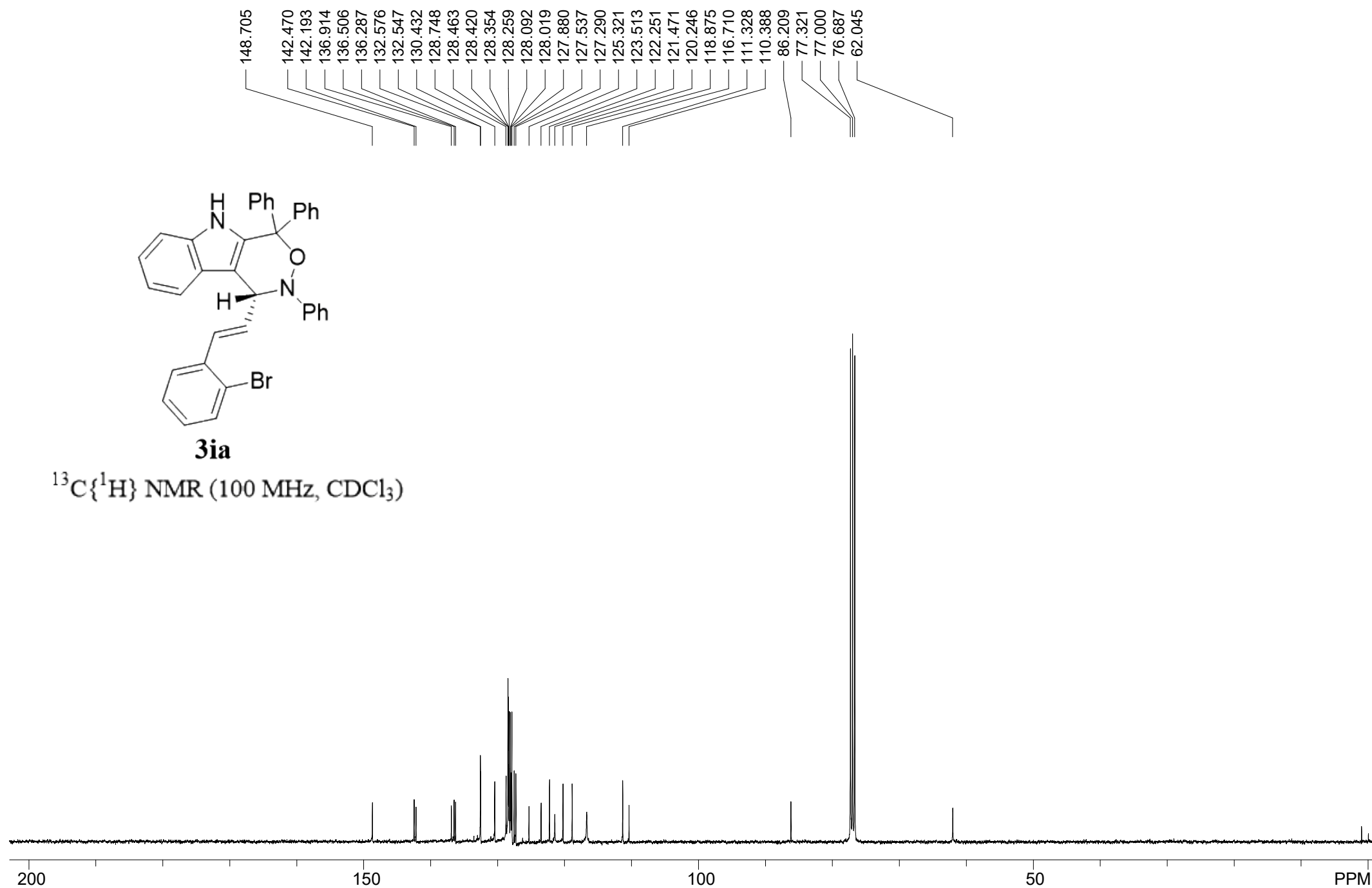


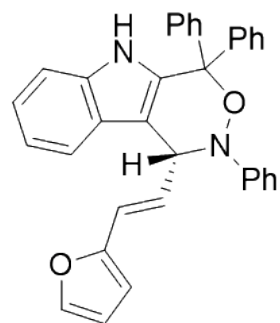
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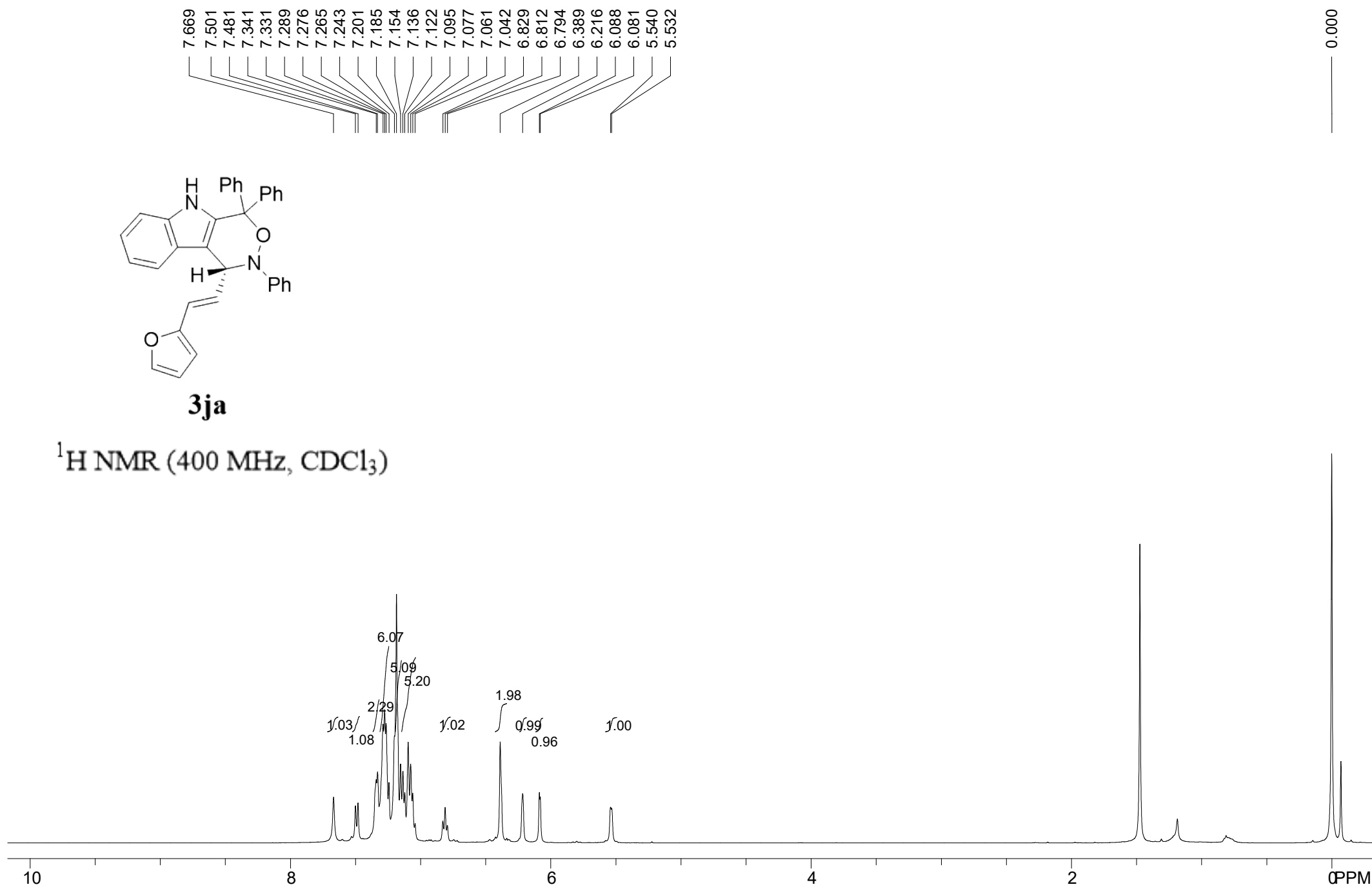
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

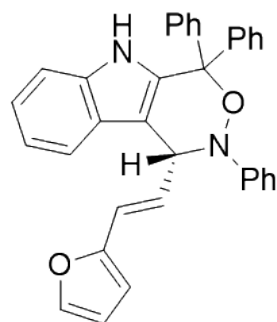




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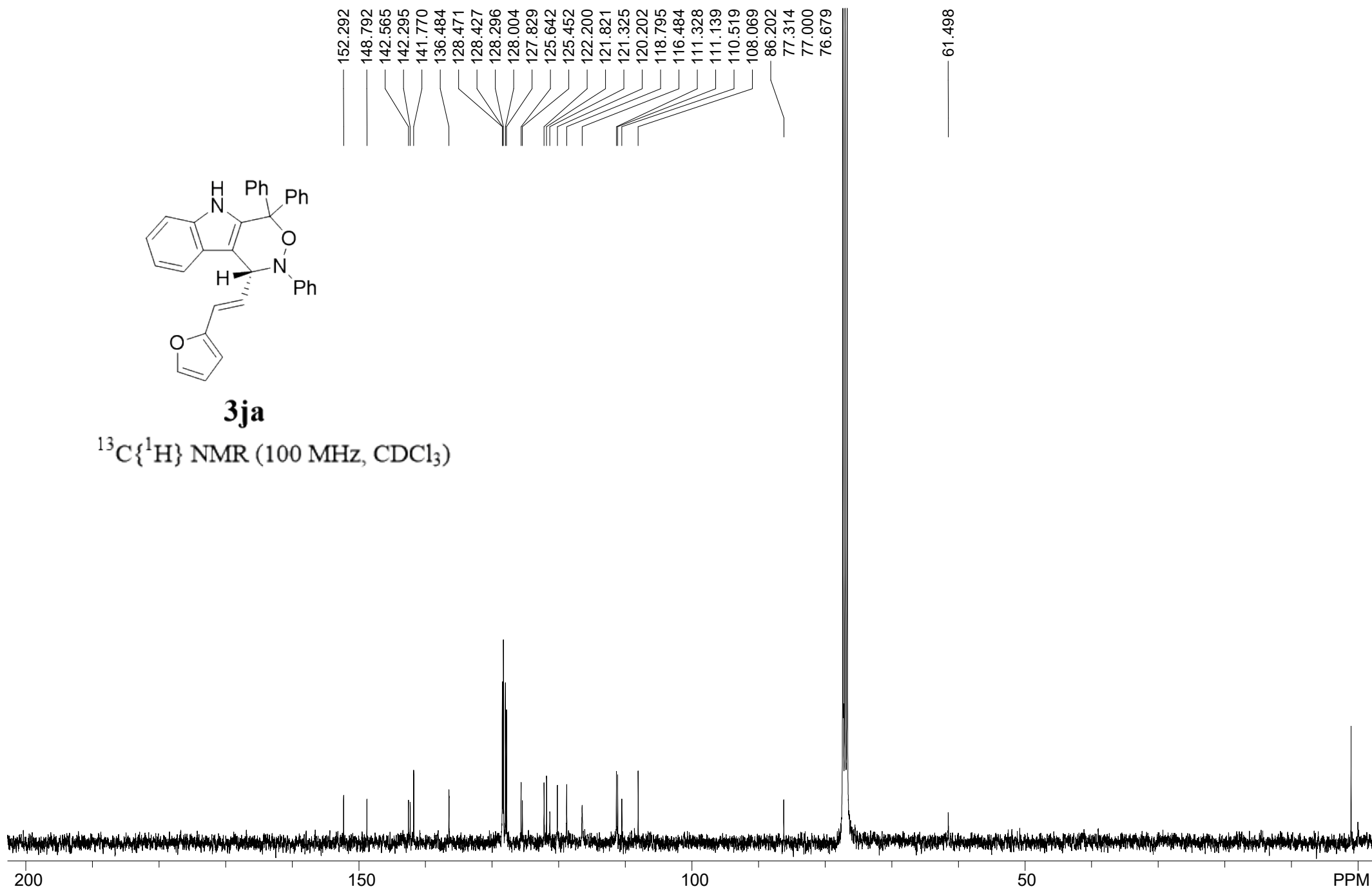
^1H NMR (400 MHz, CDCl_3)

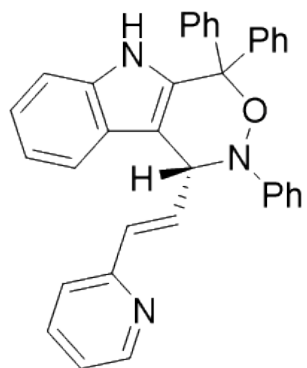




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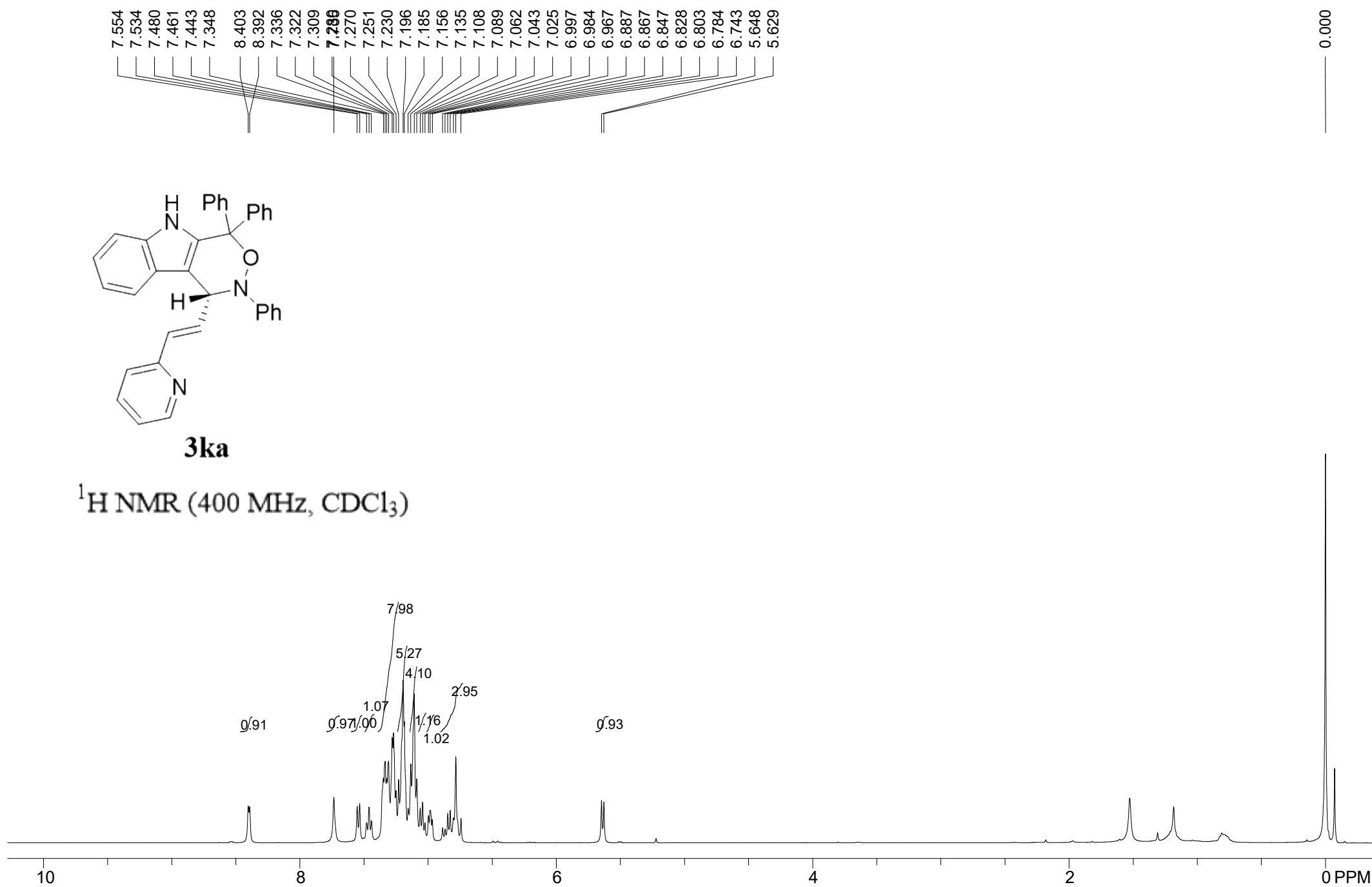
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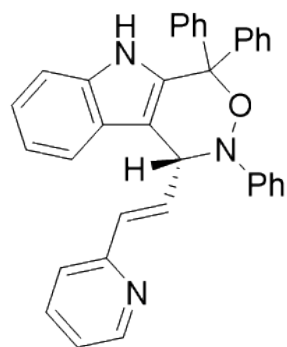




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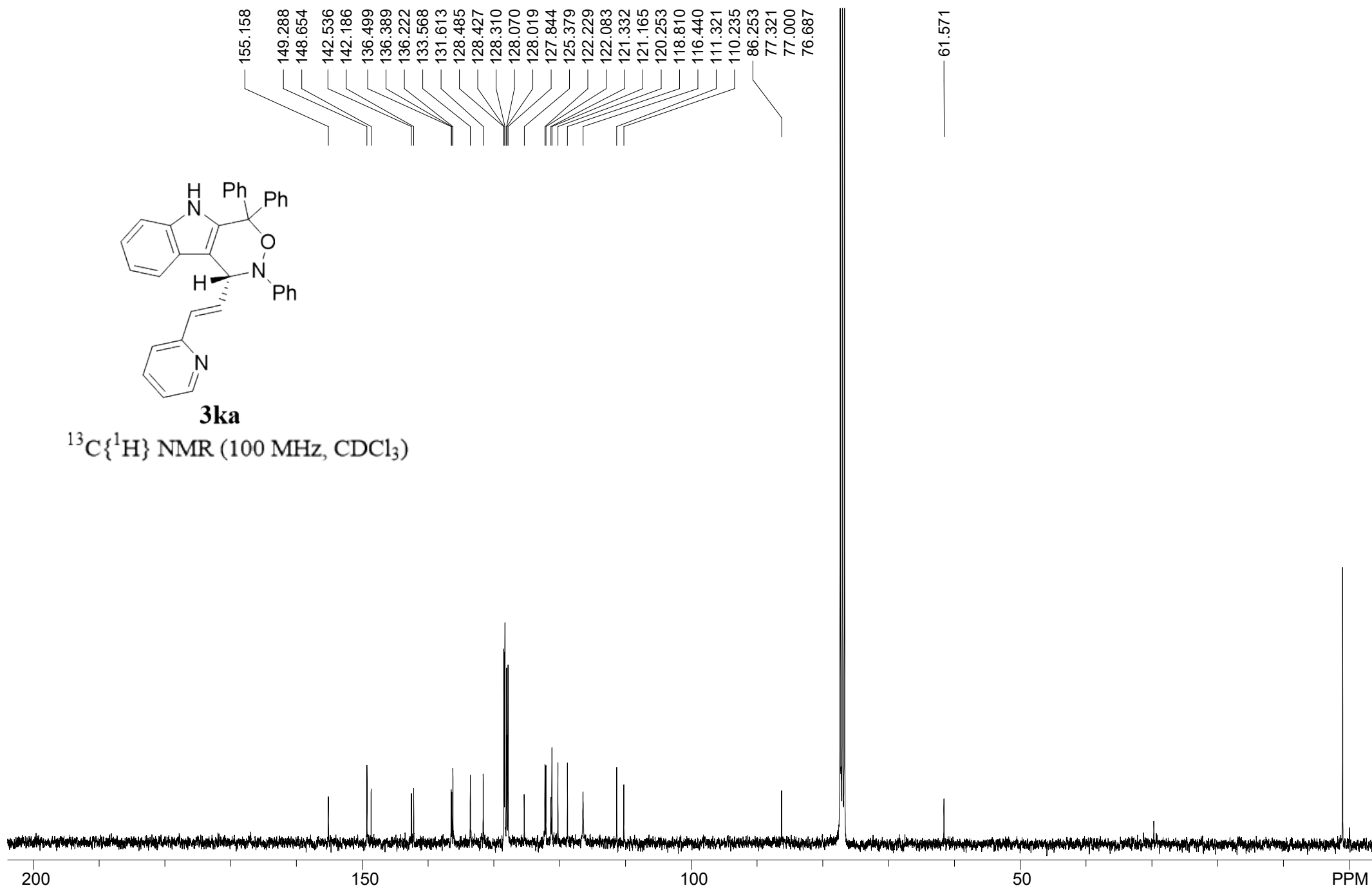
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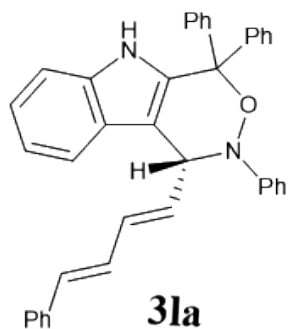
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$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

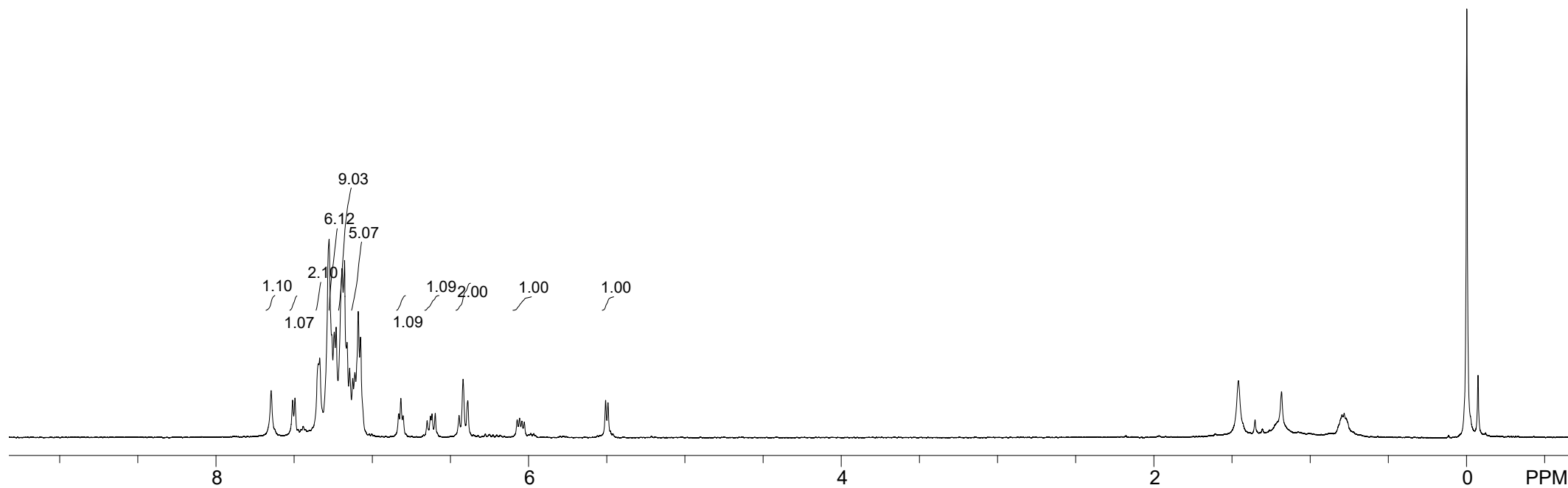


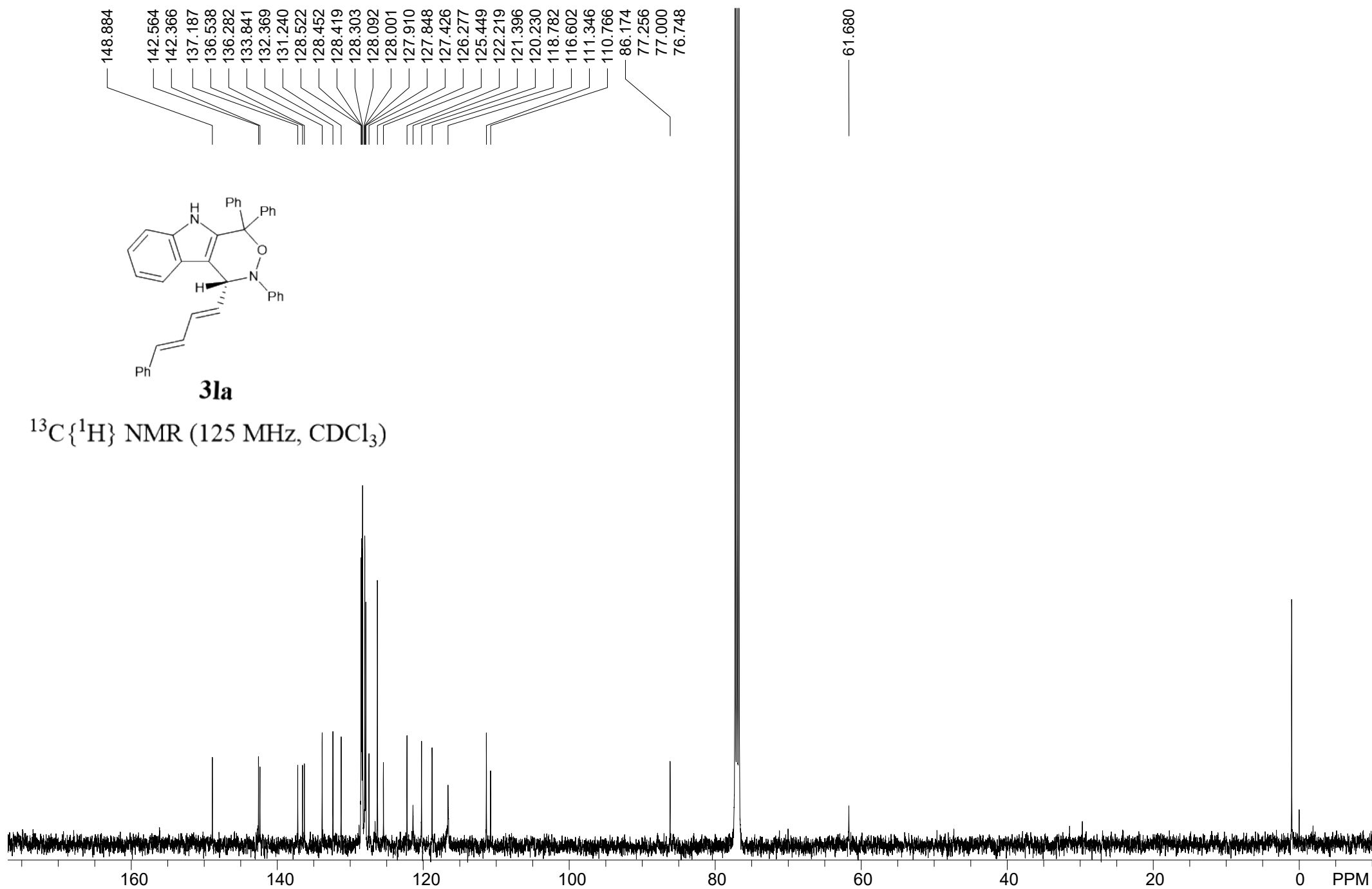
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0.000



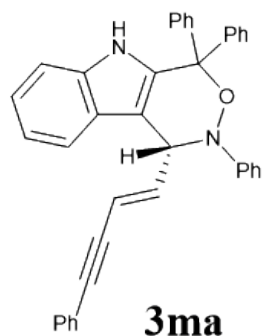
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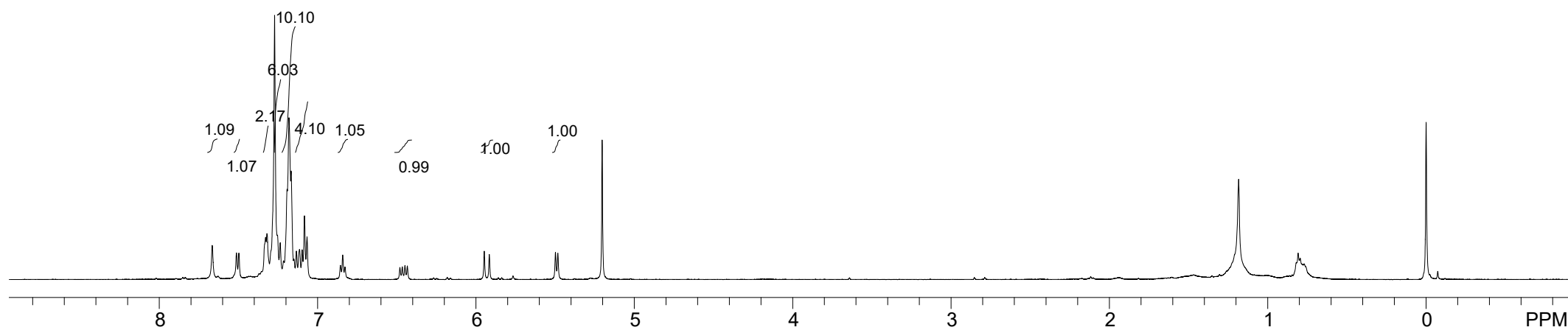


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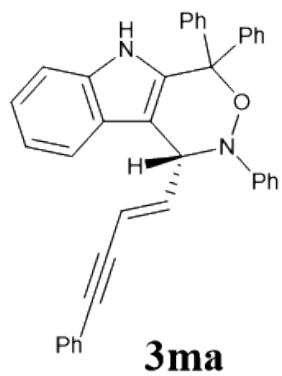
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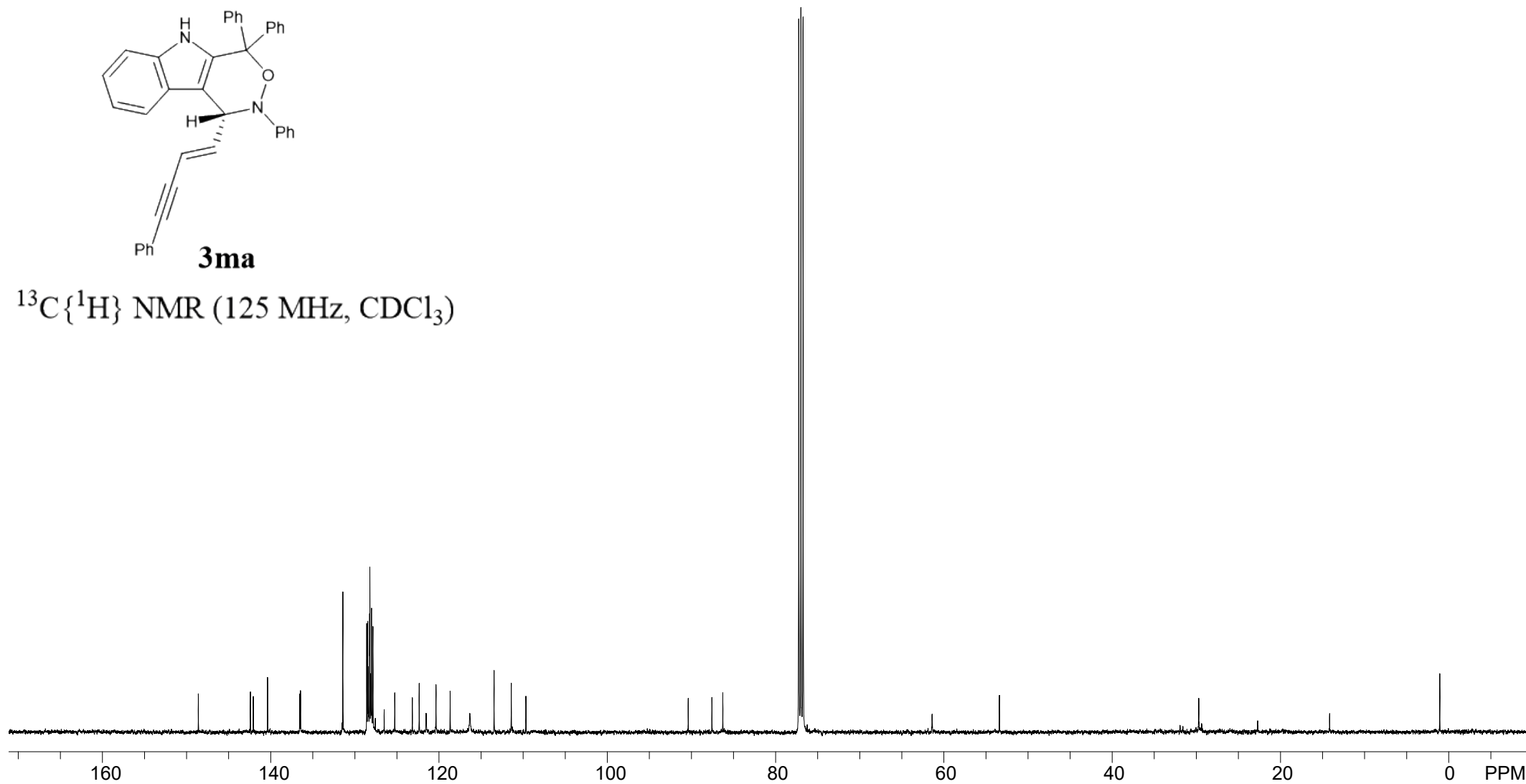
^1H NMR (500 MHz, CDCl_3)

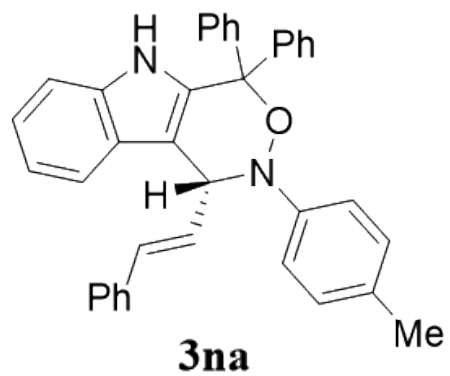


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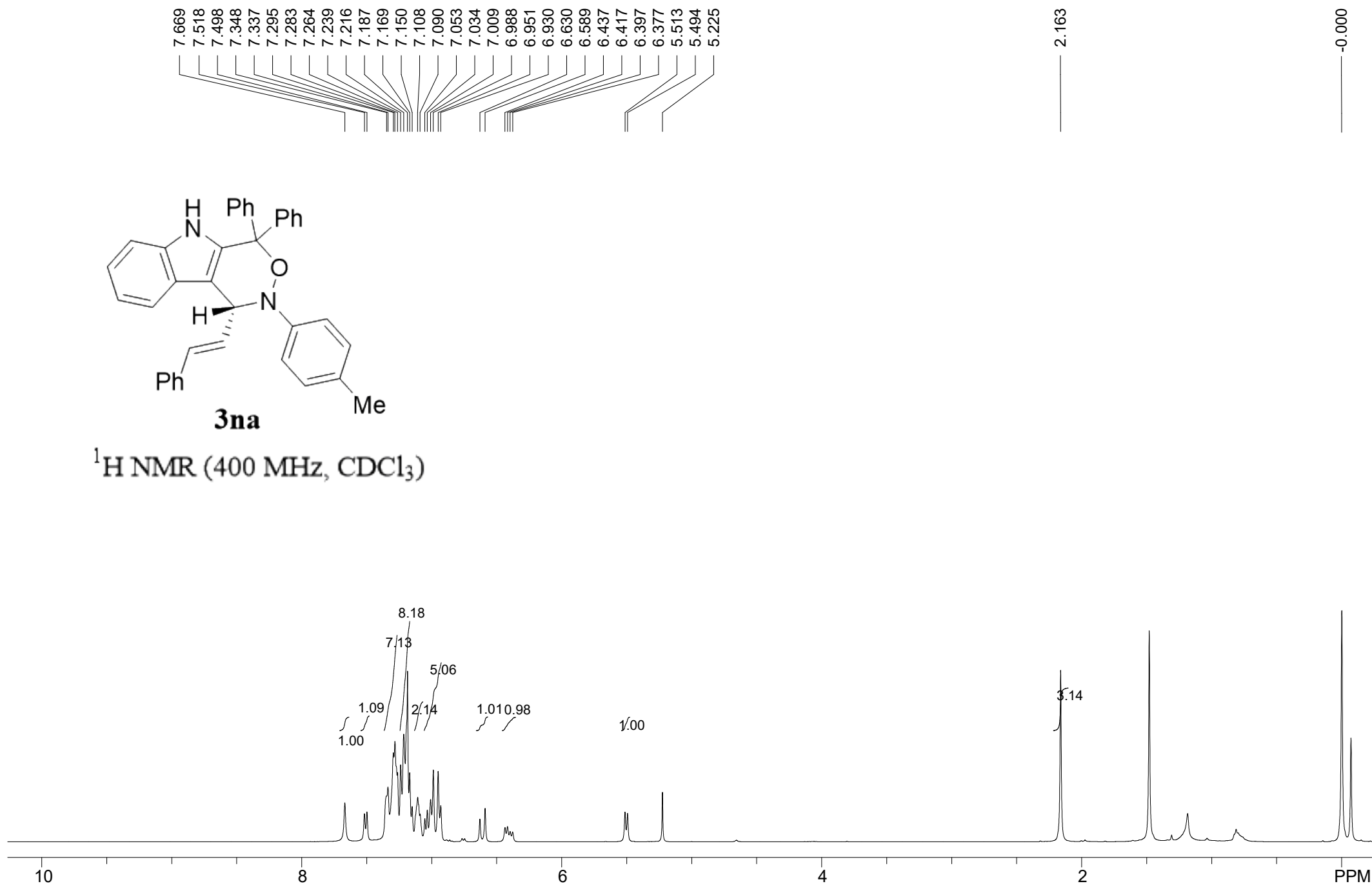


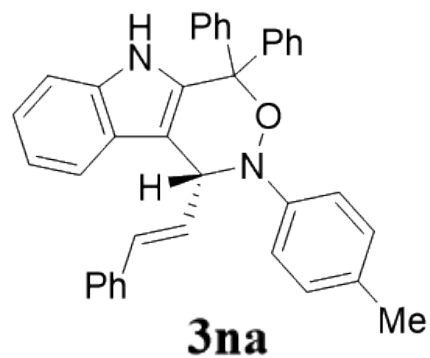
$^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, CDCl_3)



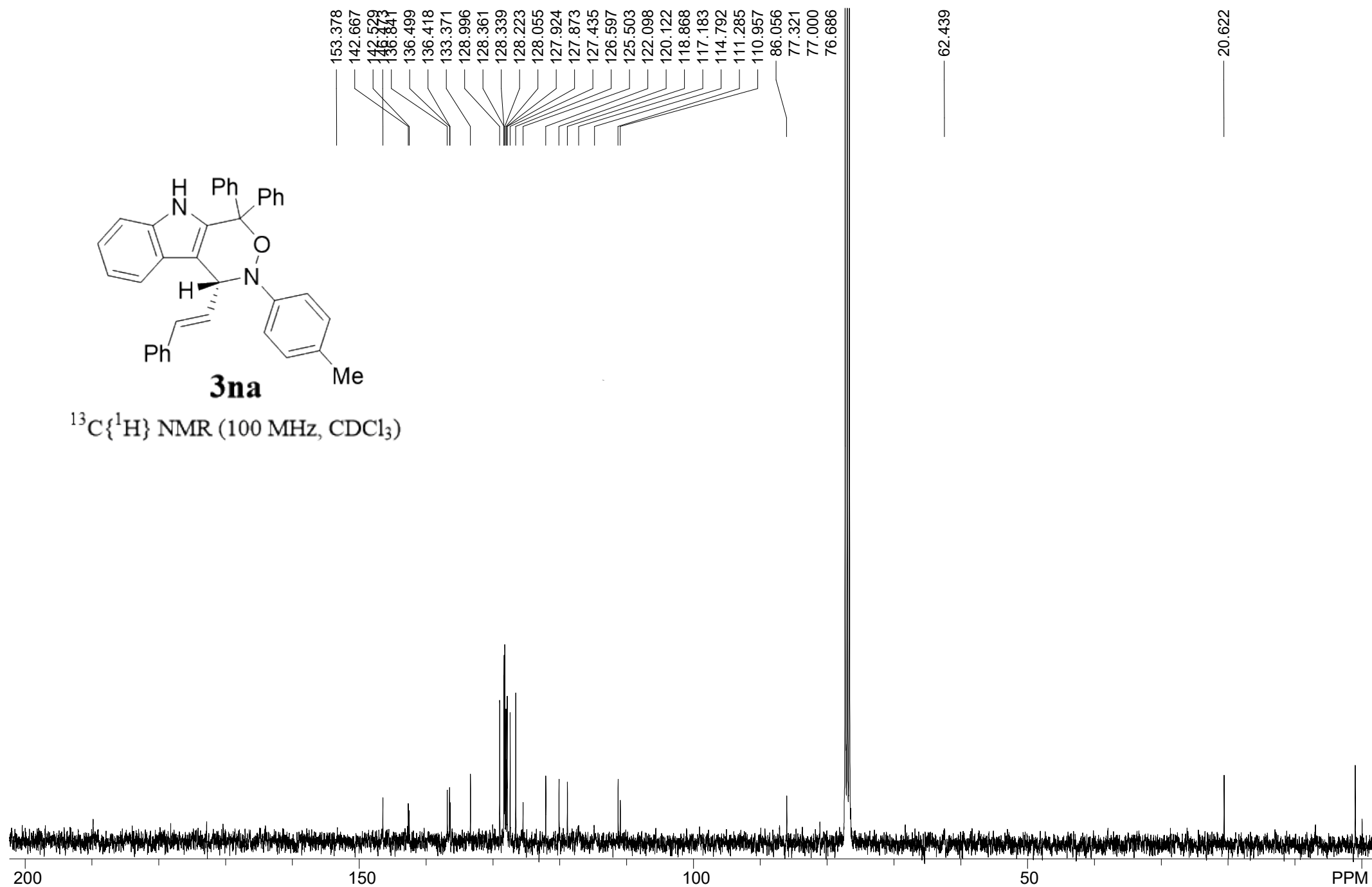


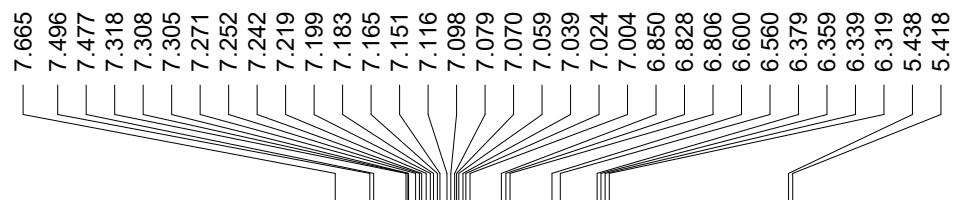
^1H NMR (400 MHz, CDCl_3)



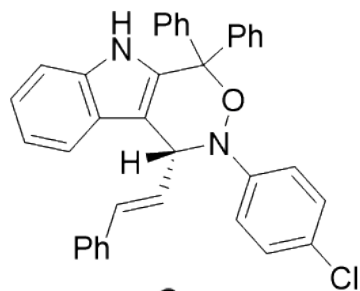


$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

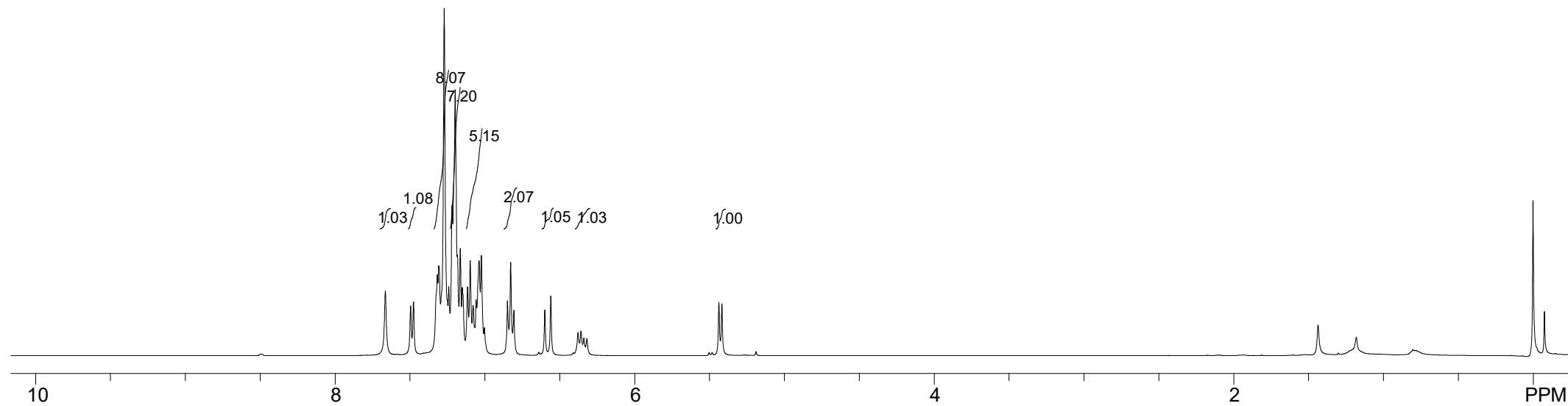


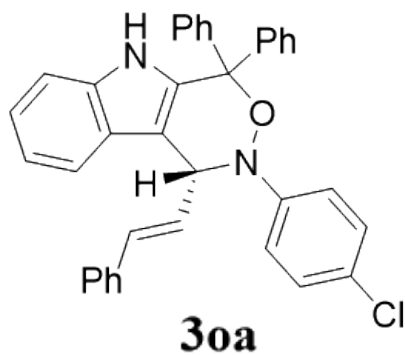
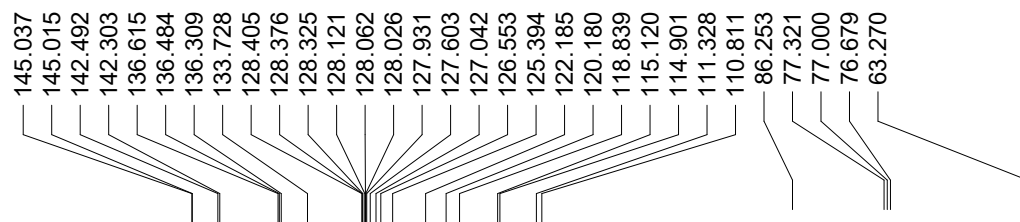


0.000

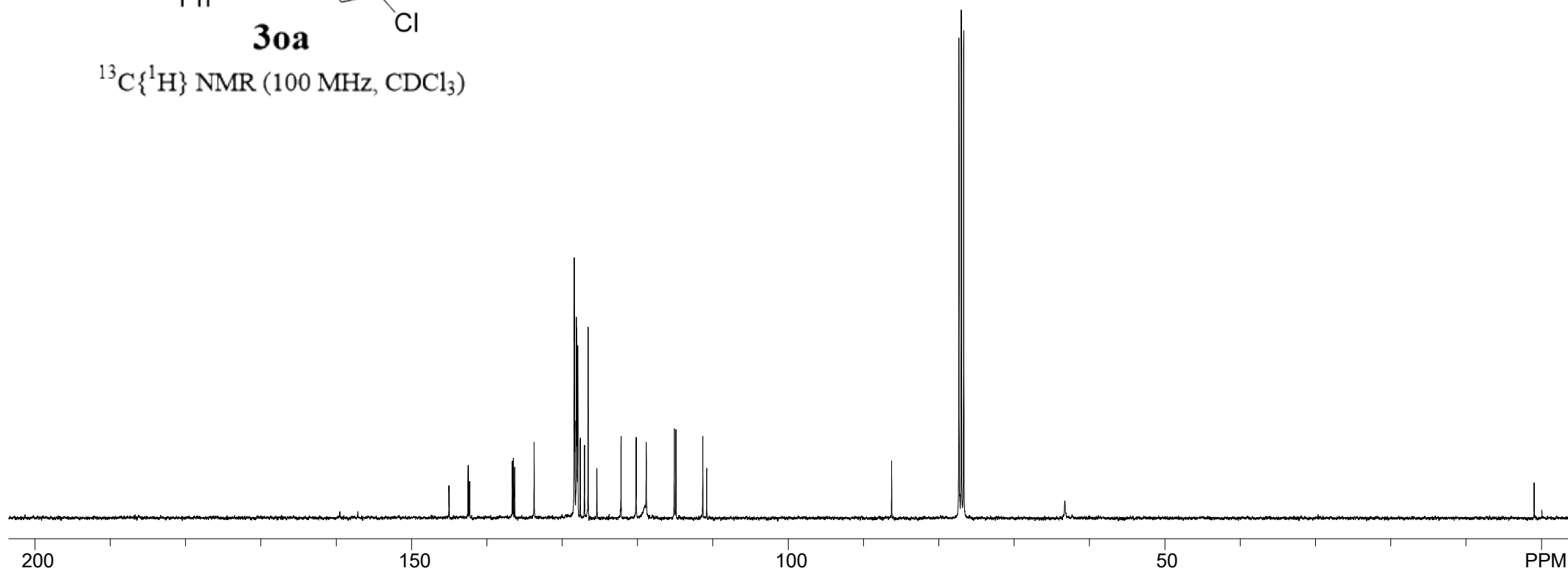


^1H NMR (400 MHz, CDCl_3)

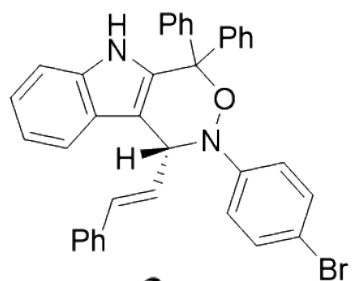




$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

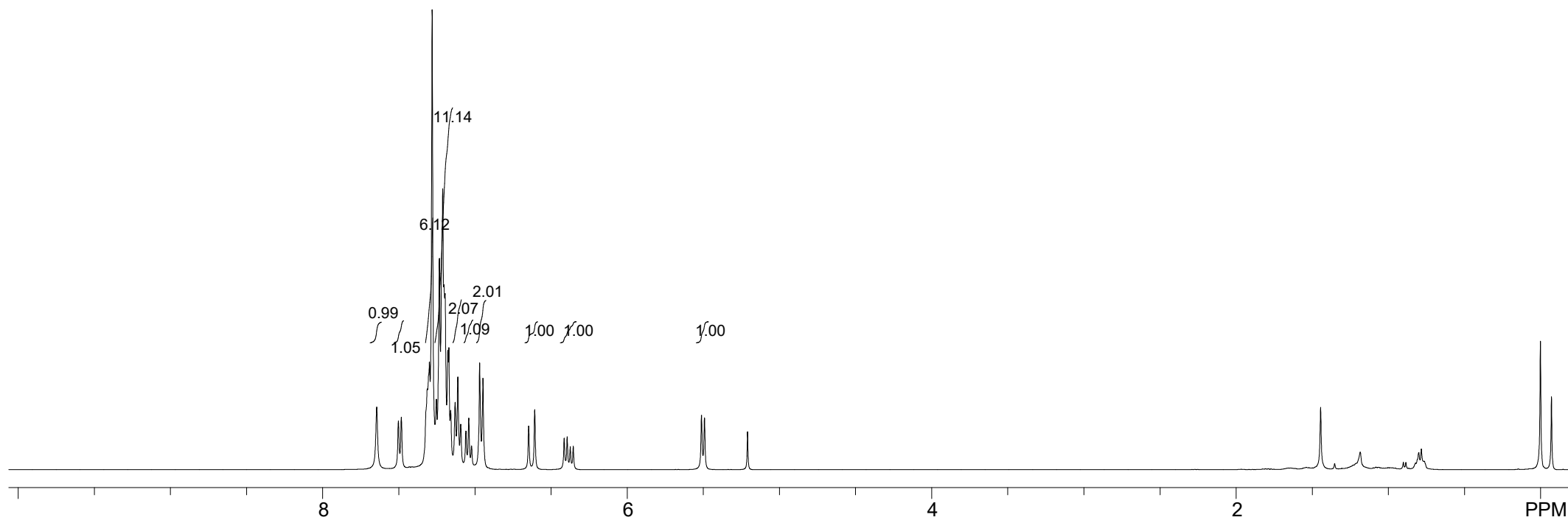


7.645
7.503
7.484
7.314
7.299
7.281
7.254
7.234
7.212
7.204
7.196
7.178
7.171
7.160
7.130
7.113
7.094
7.059
7.041
7.023
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6.948
6.647
6.608
6.414
6.394
6.374
6.355
5.512
5.492

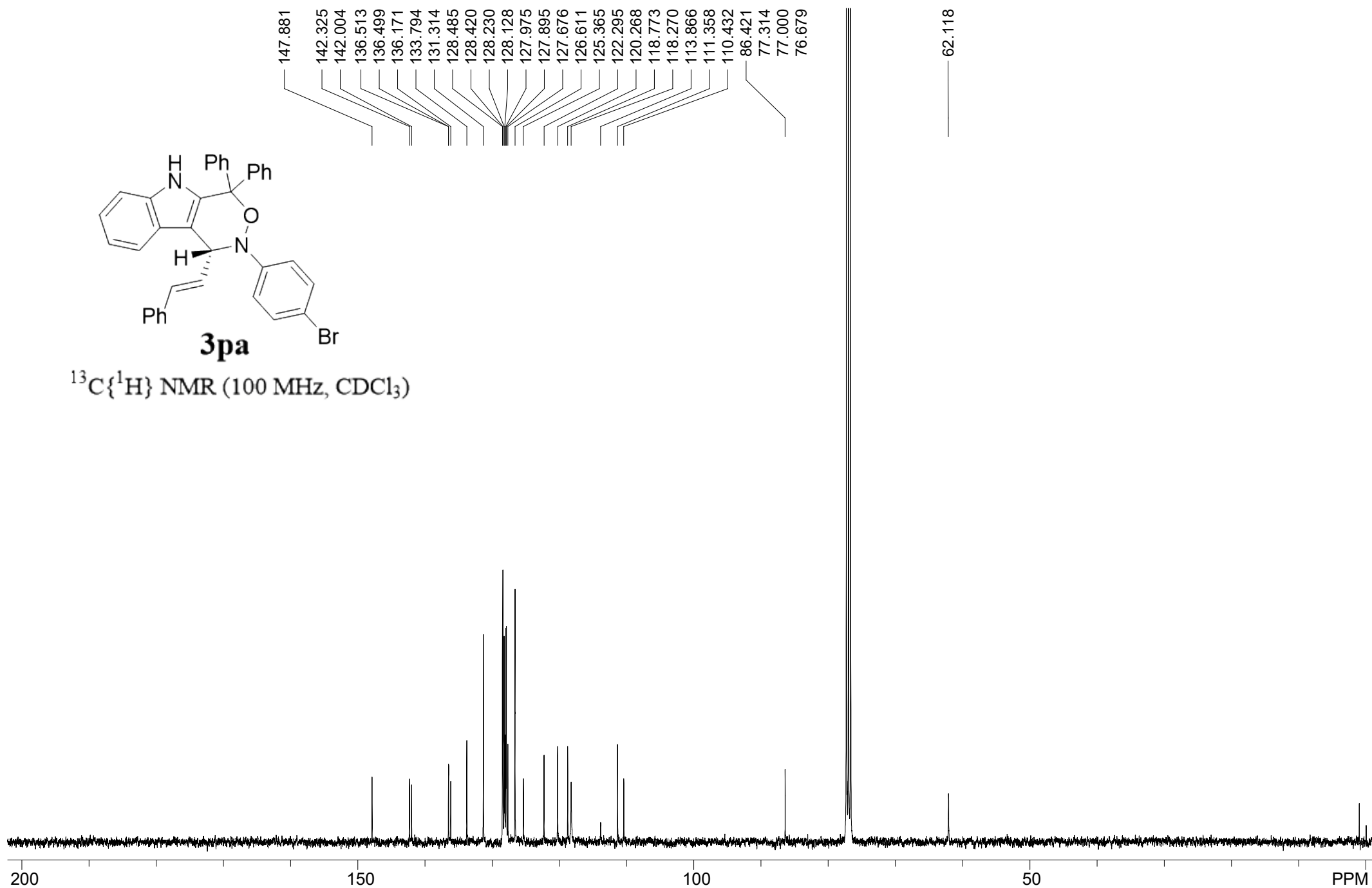


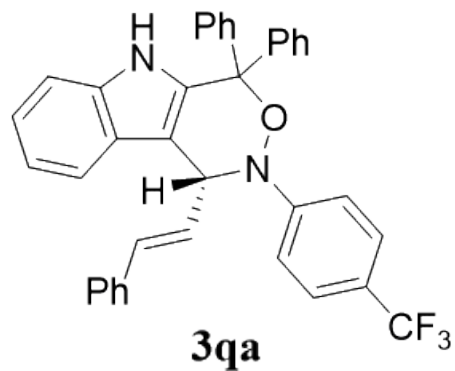
3pa

^1H NMR (400 MHz, CDCl_3)

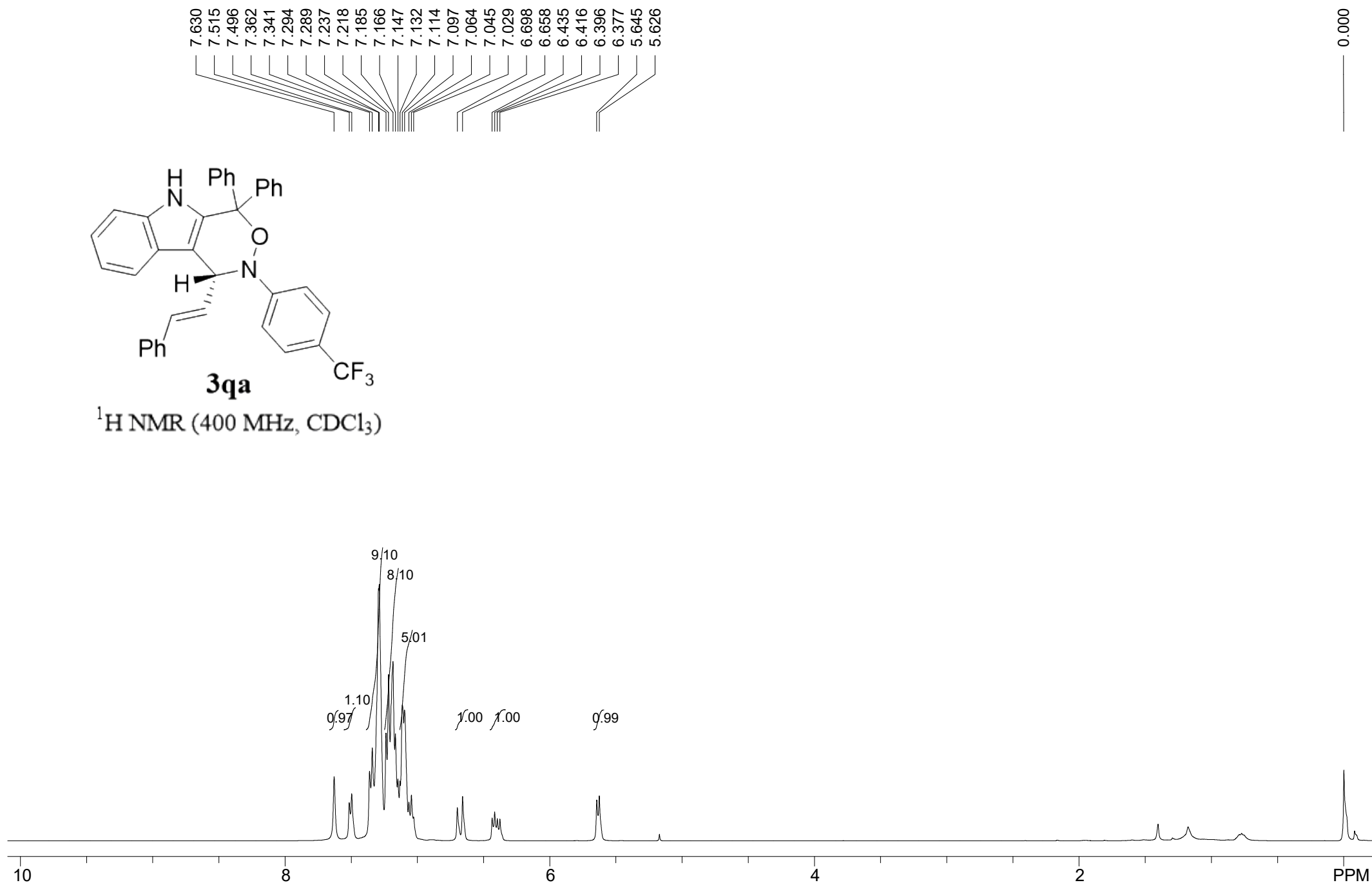


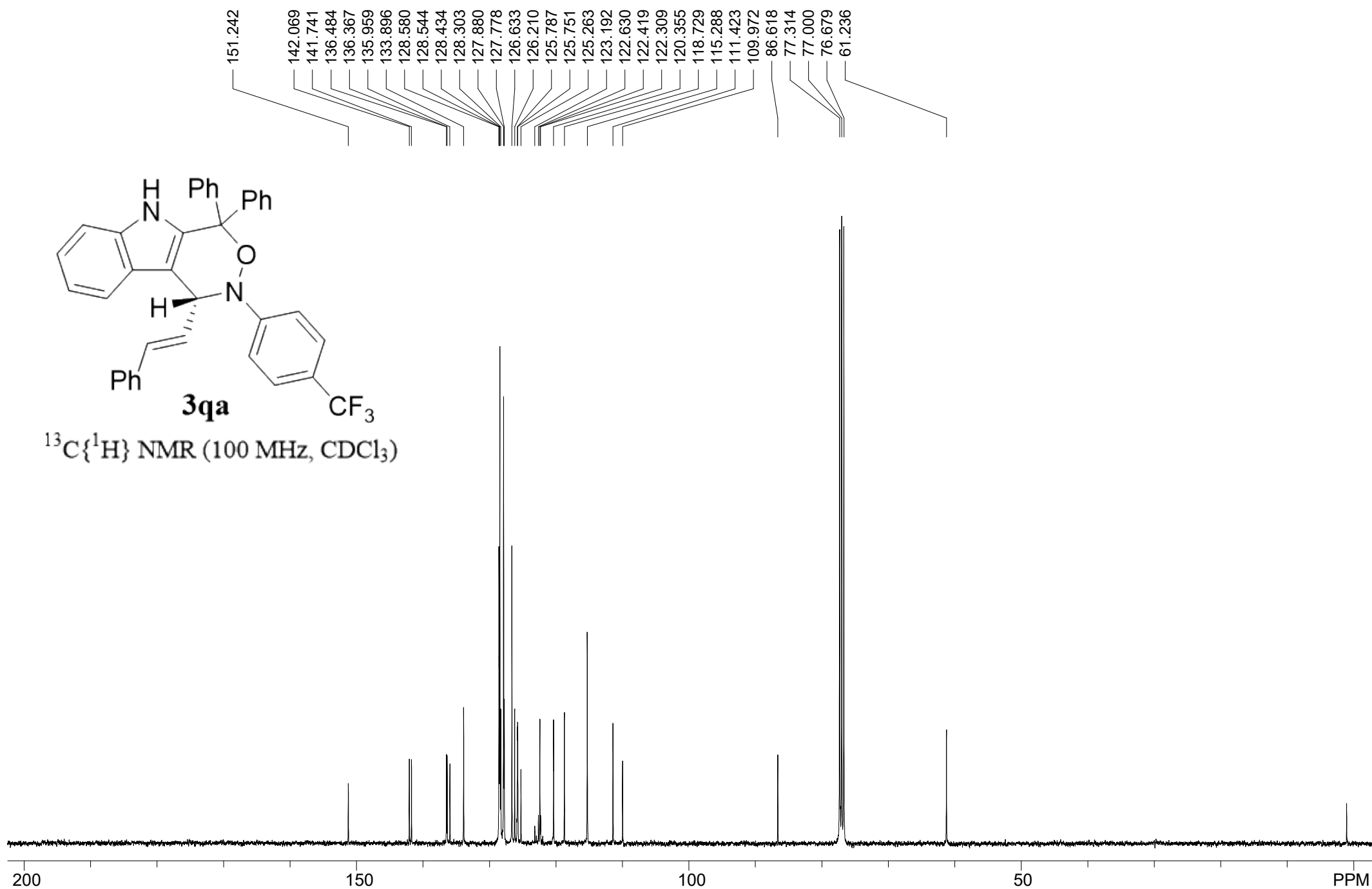
-0.000

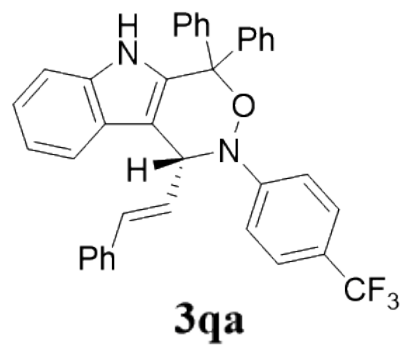




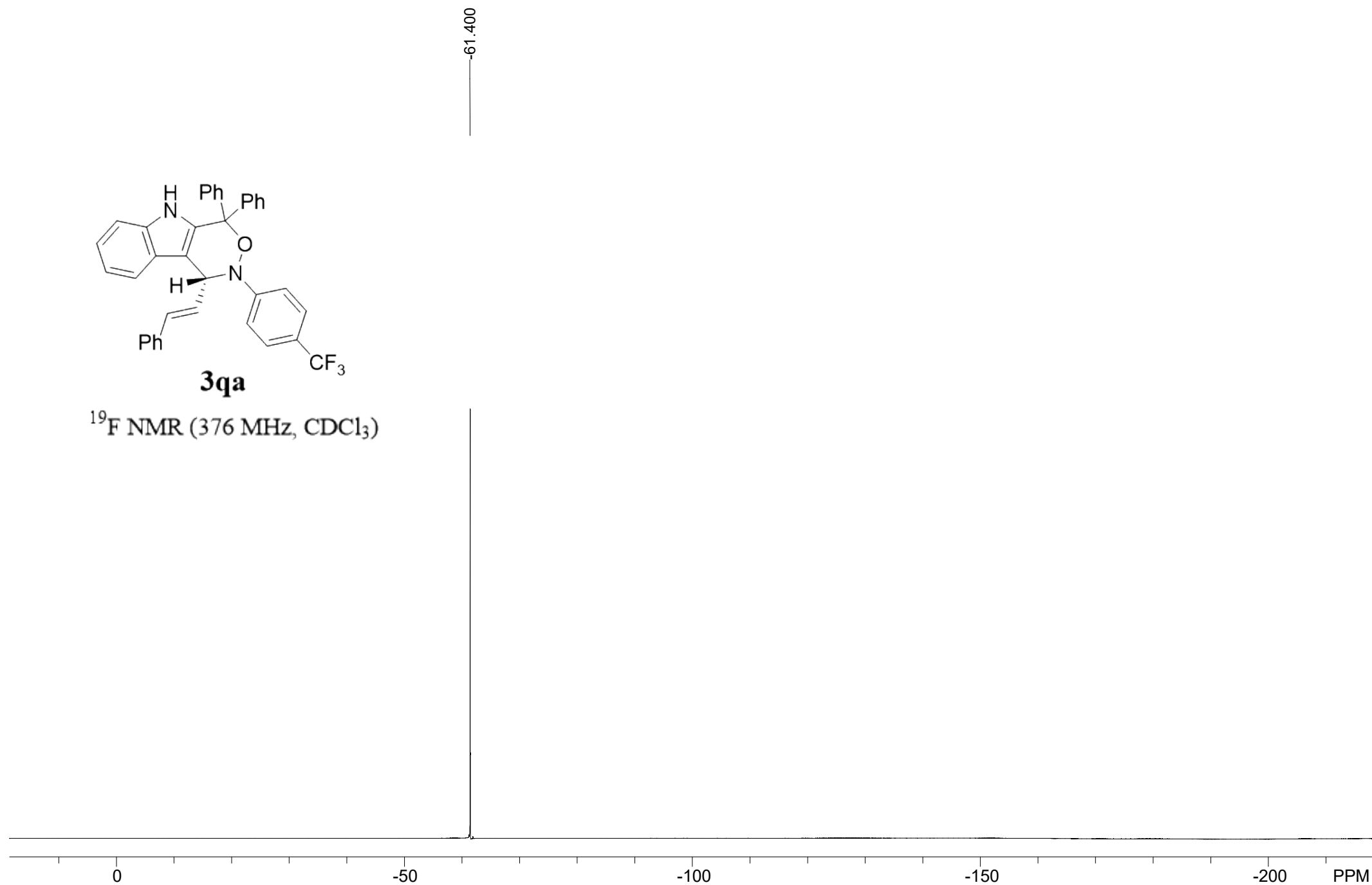
^1H NMR (400 MHz, CDCl_3)





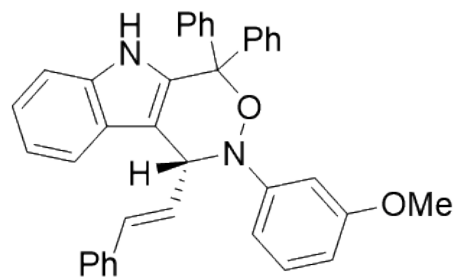


^{19}F NMR (376 MHz, CDCl_3)



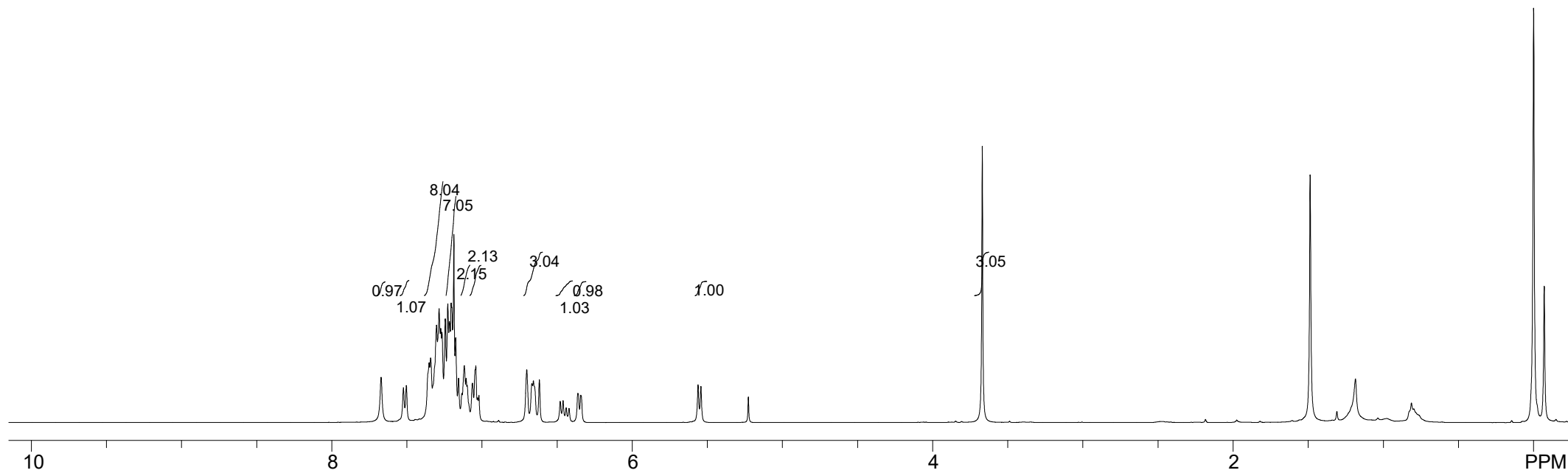
7.672
7.523
7.504
7.352
7.342
7.303
7.286
7.275
7.267
7.245
7.227
7.217
7.205
7.201
7.187
7.176
7.156
7.134
7.118
7.106
7.099
7.064
7.042
7.029
7.021
6.702
6.668
6.658
6.618
6.479
6.460
6.440
6.421
6.362
6.343
5.561
5.543
3.669

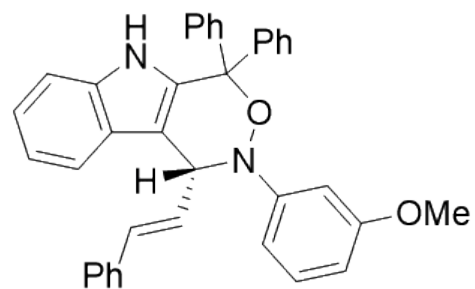
-0.000



3ra

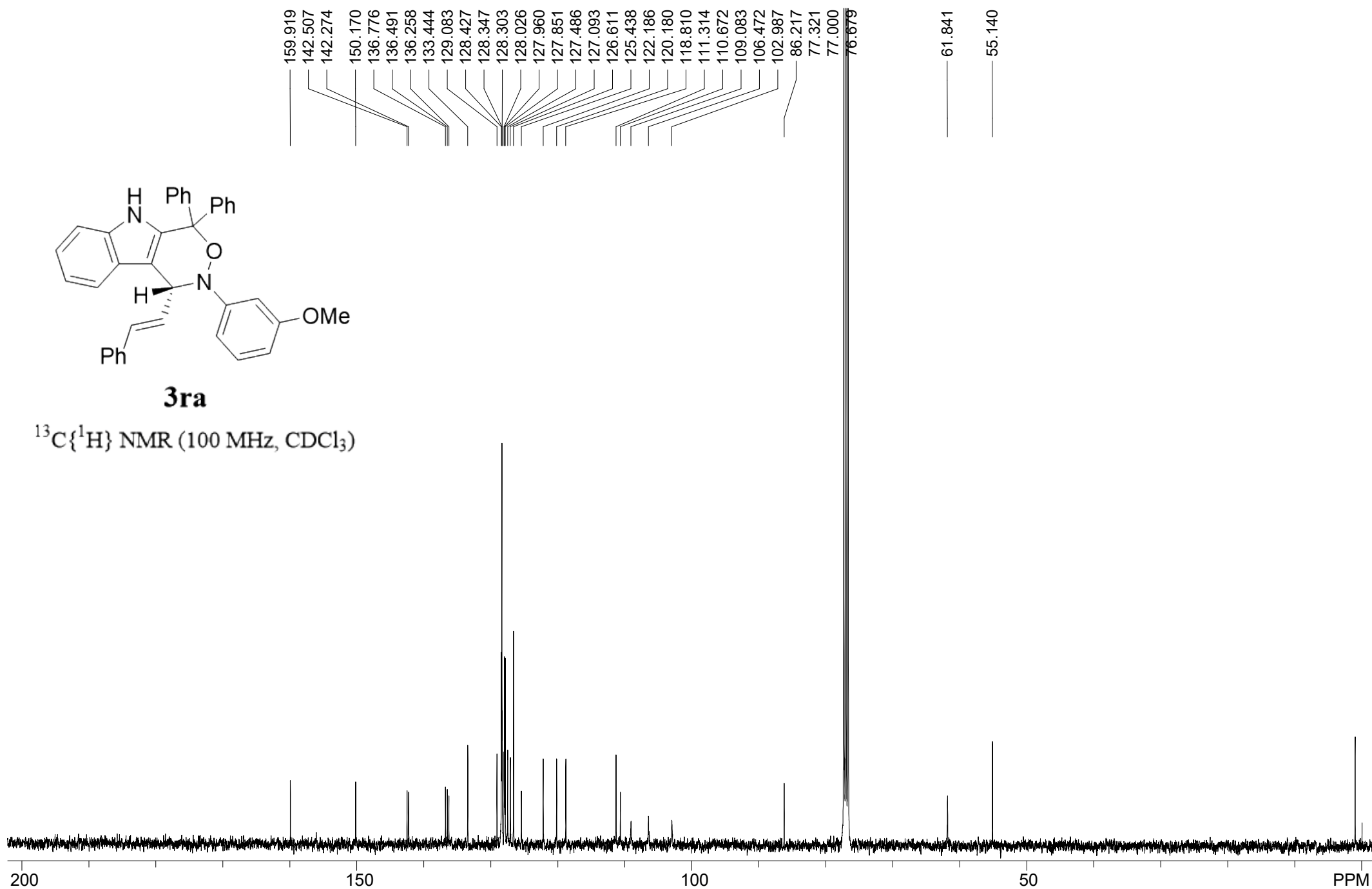
^1H NMR (400 MHz, CDCl_3)

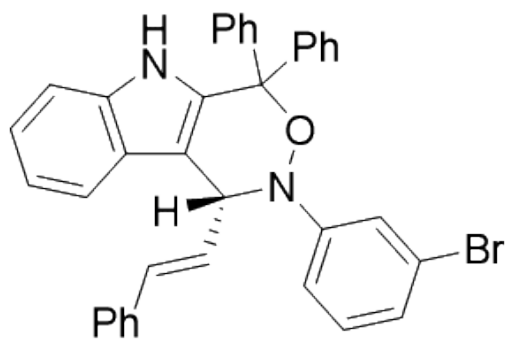




3ra

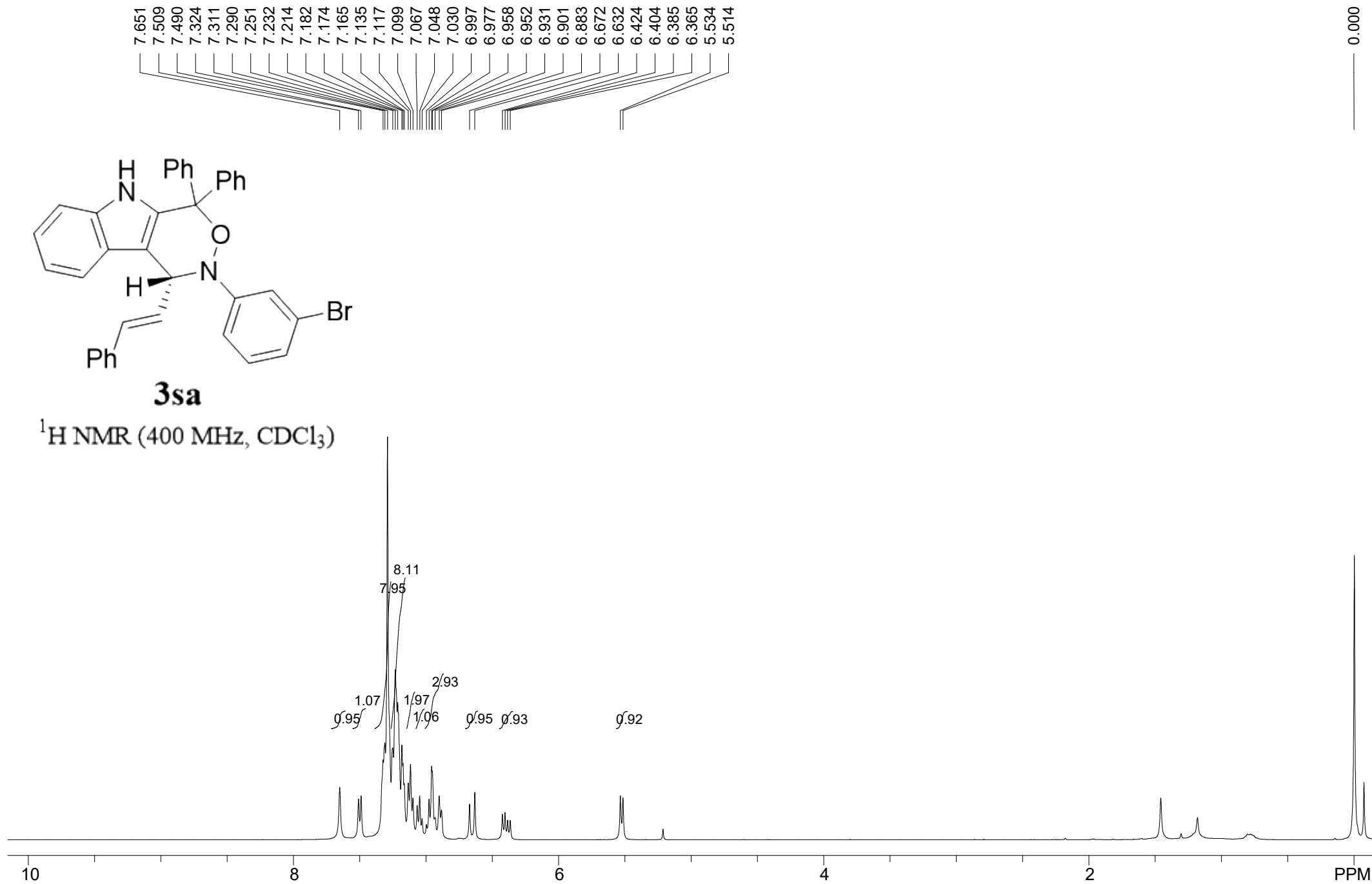
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

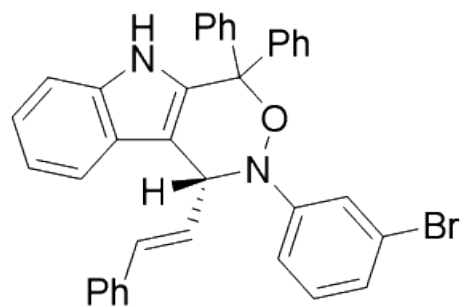




3sa

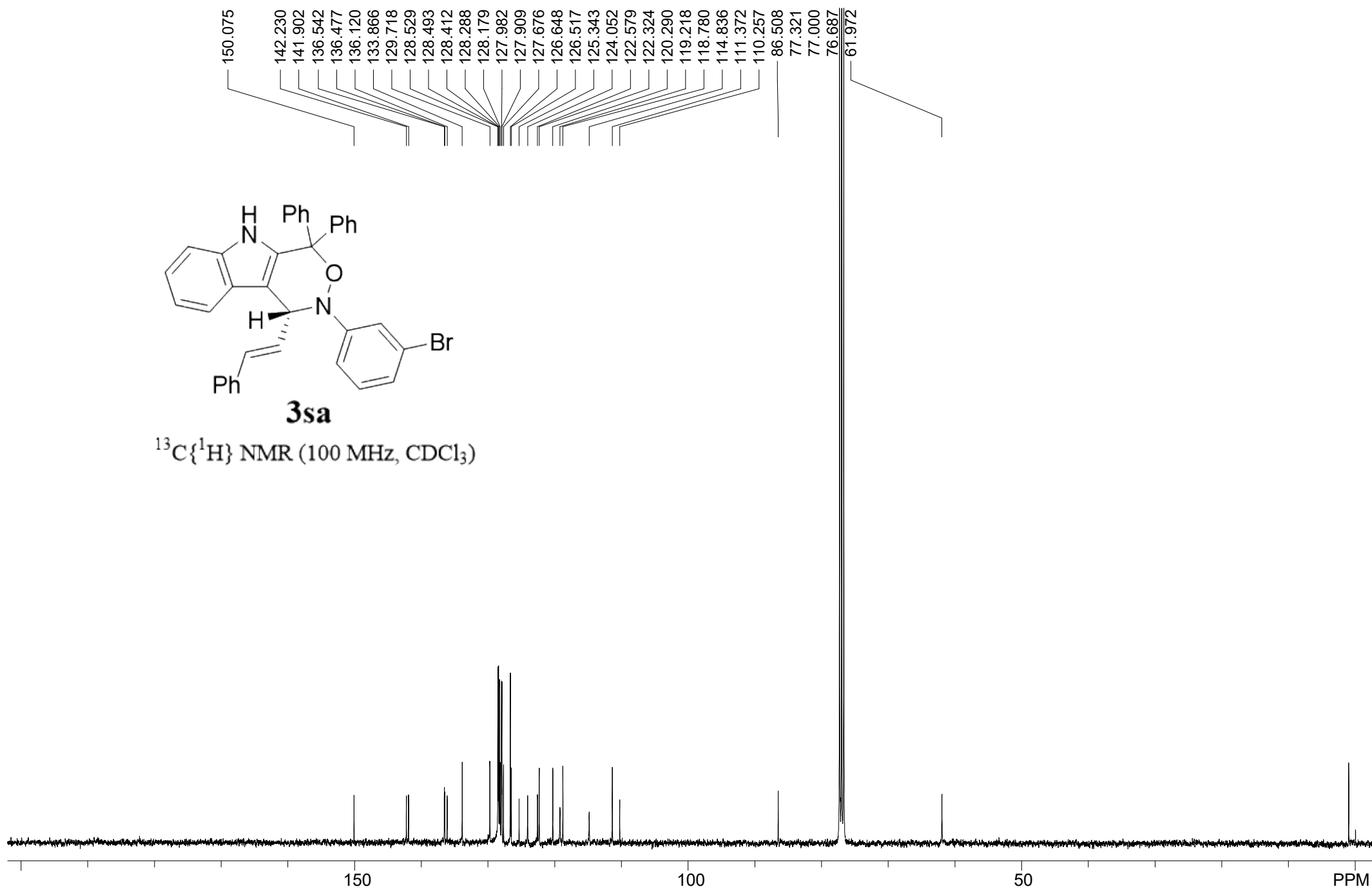
^1H NMR (400 MHz, CDCl_3)



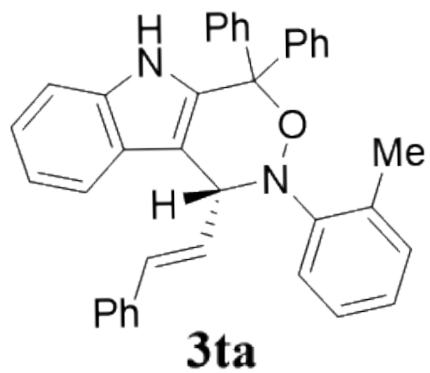


3sa

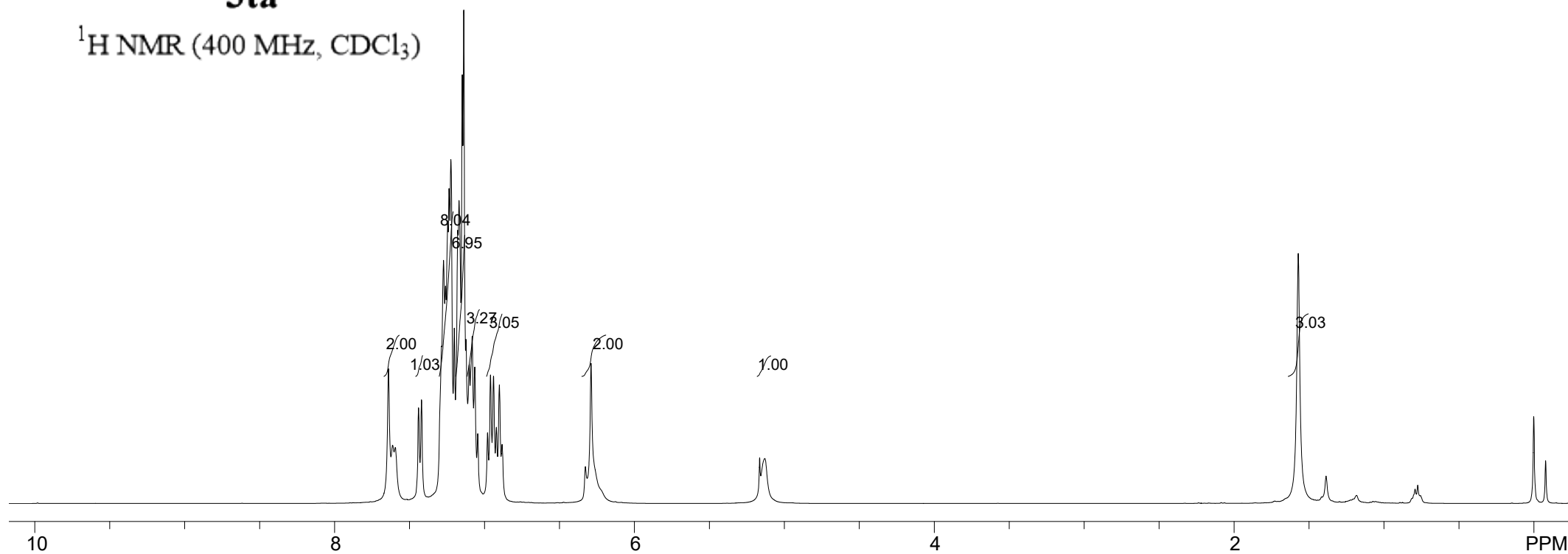
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)



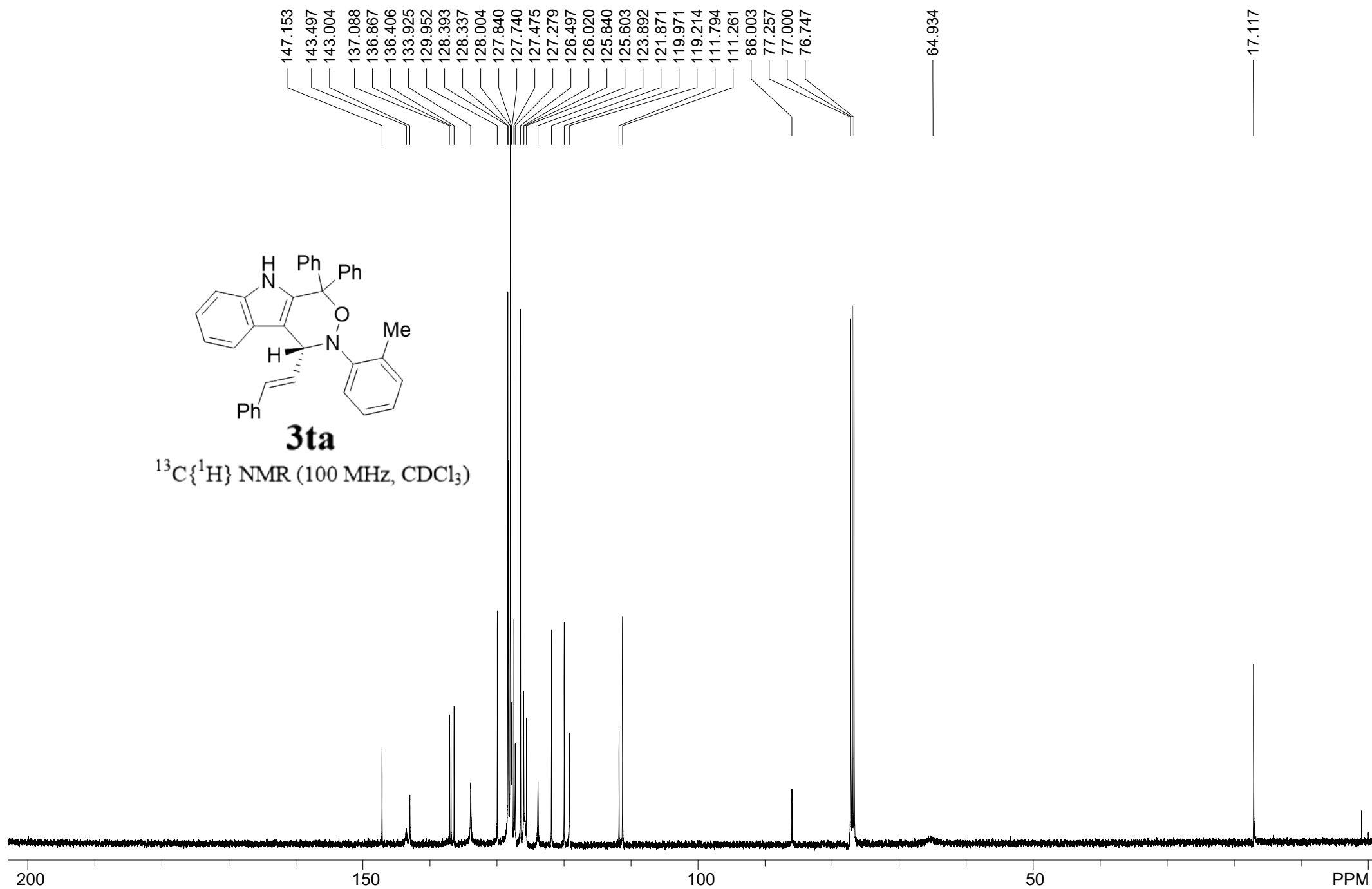
7.641
7.613
7.595
7.440
7.421
7.287
7.273
7.260
7.246
7.237
7.224
7.202
7.179
7.170
7.149
7.138
7.124
7.102
7.083
7.066
7.045
6.980
6.960
6.940
6.921
6.901
6.883
6.327
6.289
5.165
5.130



^1H NMR (400 MHz, CDCl_3)



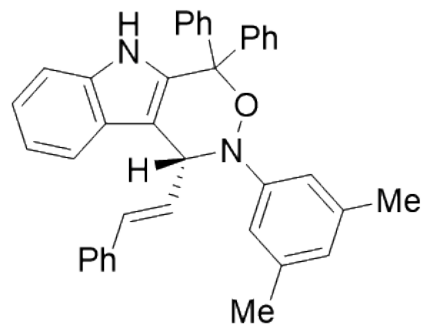
1.571
-0.000



7.631
7.509
7.490
7.352
7.337
7.285
7.264
7.252
7.226
7.216
7.198
7.176
7.168
7.147
7.131
7.105
7.089
7.076
7.070
7.042
7.023
7.005
6.711
6.612
6.572
6.448
6.433
6.410
6.391
5.539
5.521

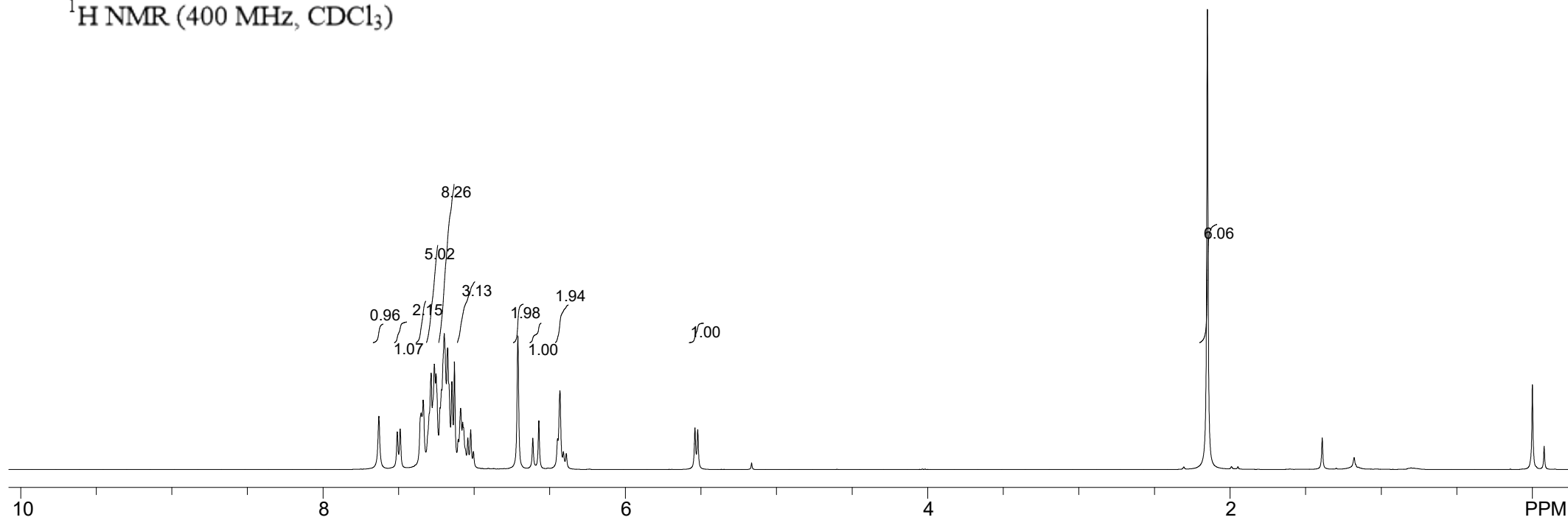
2.149

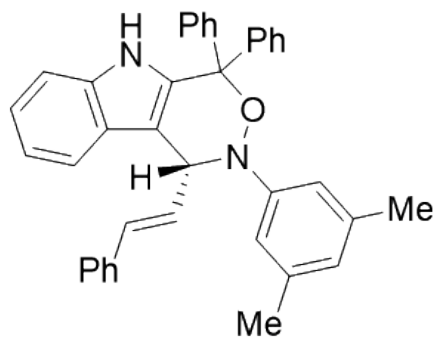
0.000



3ua

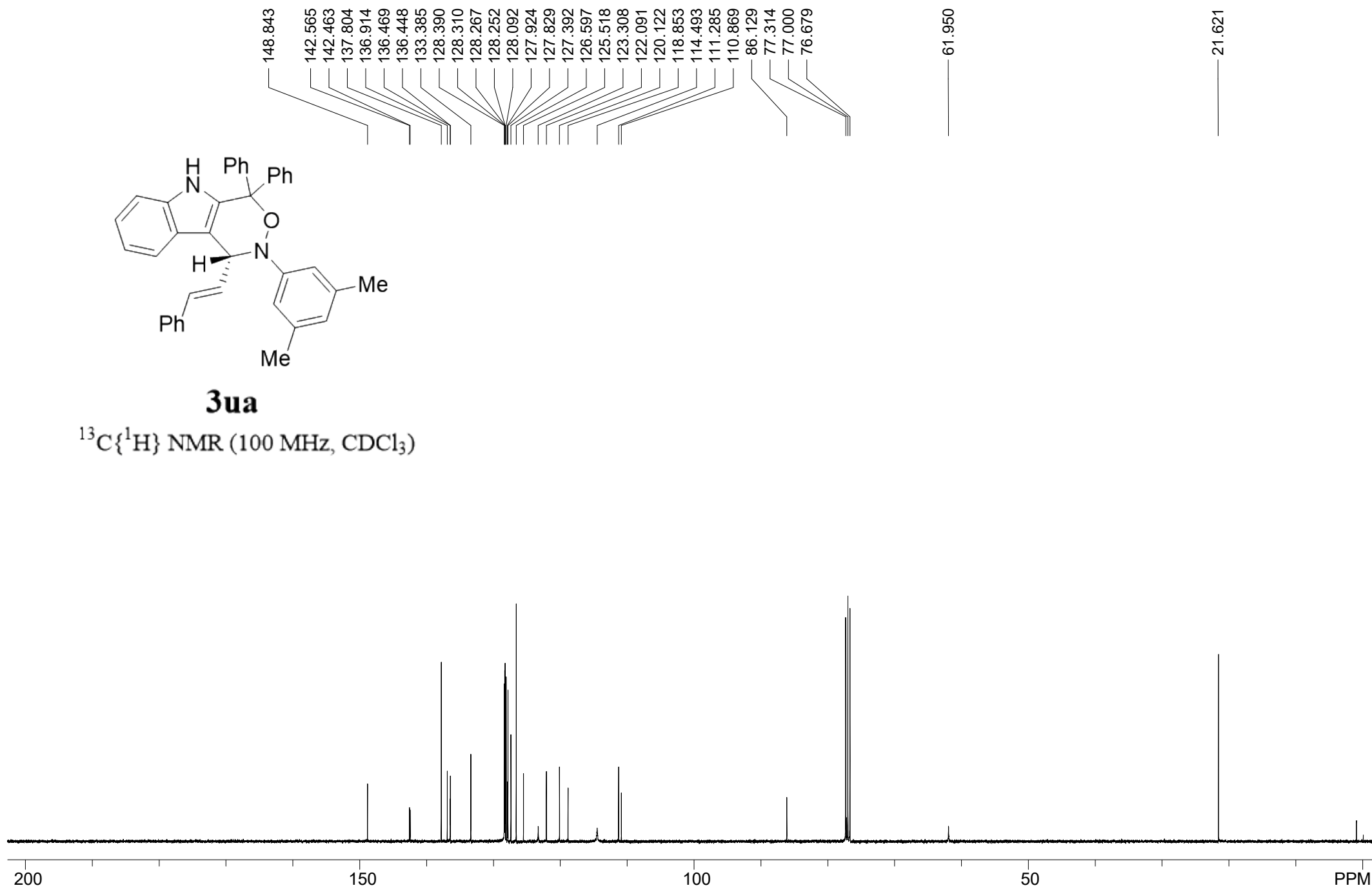
¹H NMR (400 MHz, CDCl₃)

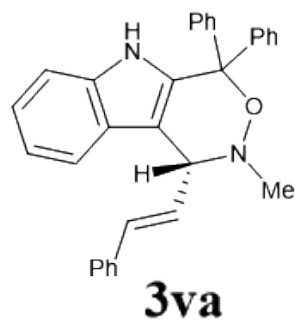
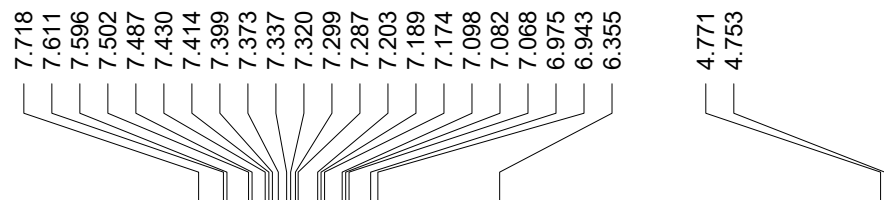




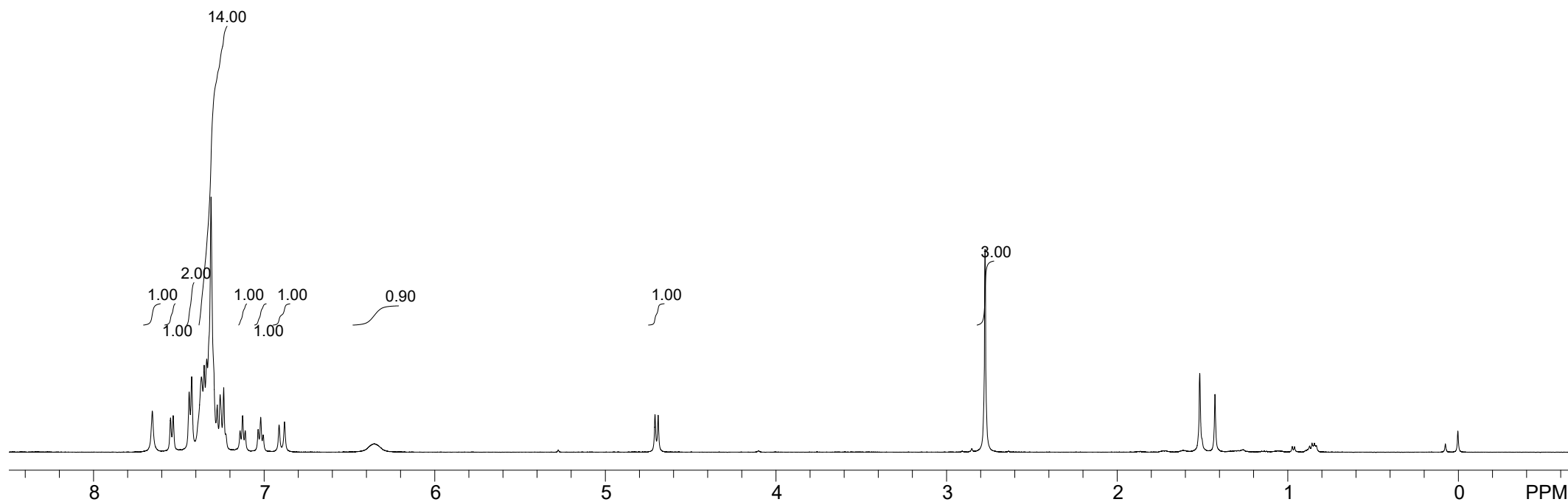
3ua

$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

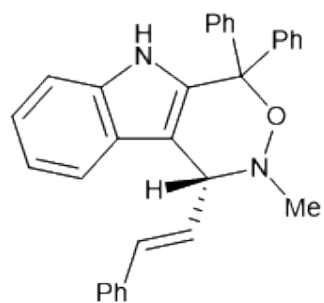




^1H NMR (500 MHz, CDCl_3)



143.470
143.123
136.625
136.480
136.422
133.999
128.560
128.419
128.229
128.121
128.022
127.968
127.914
127.753
126.641
125.549
121.810
119.886
119.245
111.255
111.147



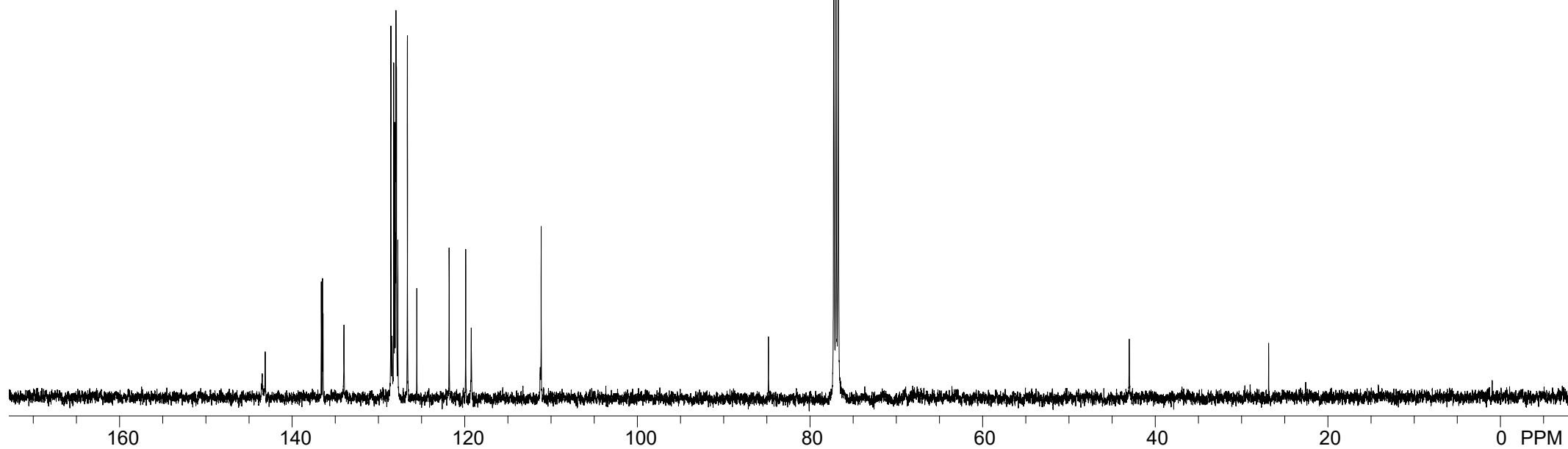
3va

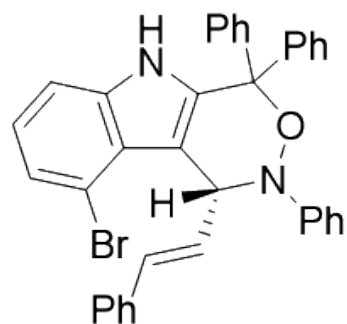
$^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, CDCl_3)

84.825
77.256
77.000
76.748

43.043

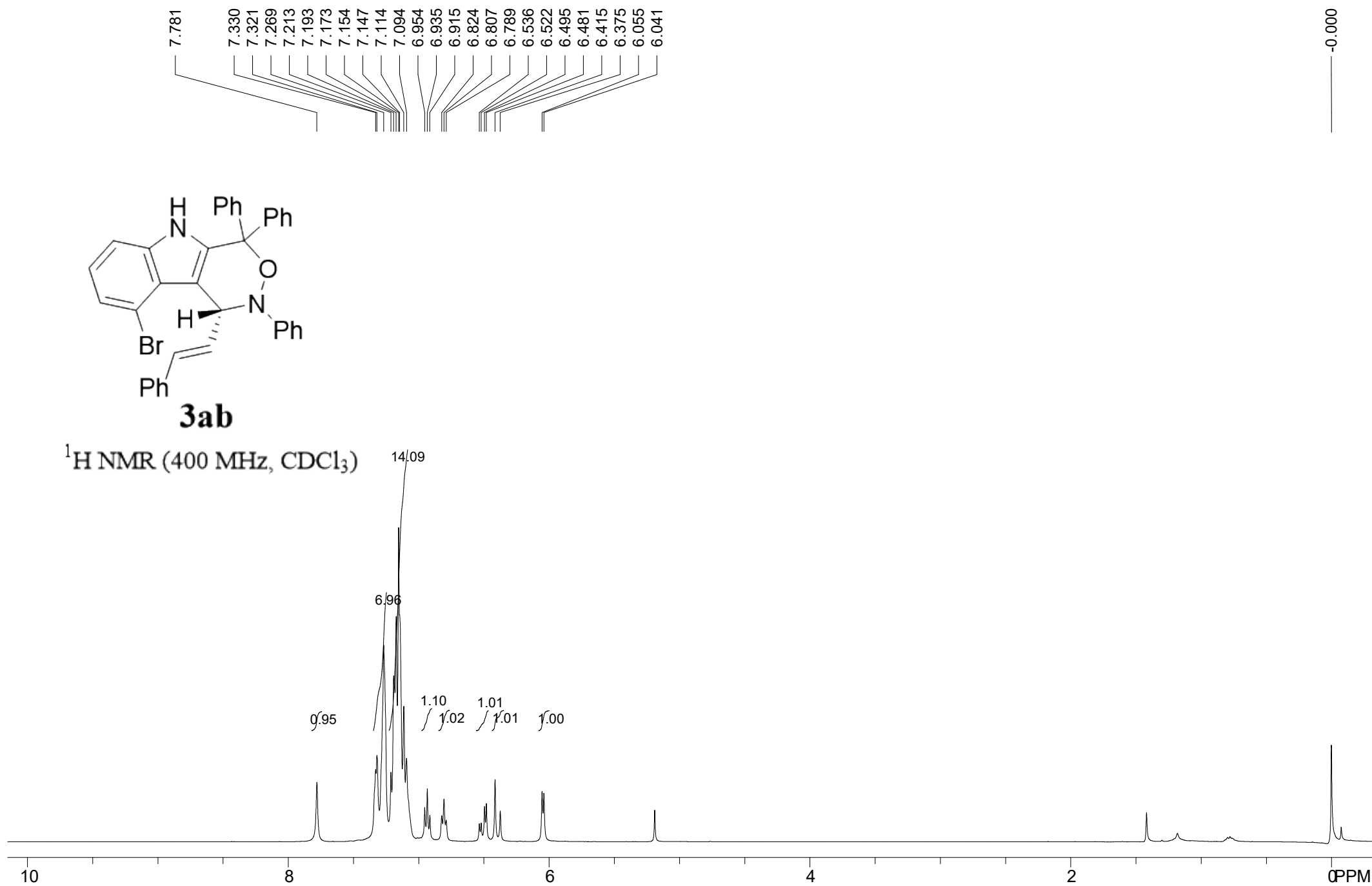
26.900

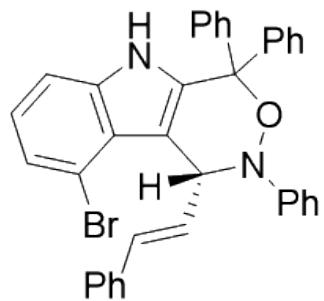




3ab

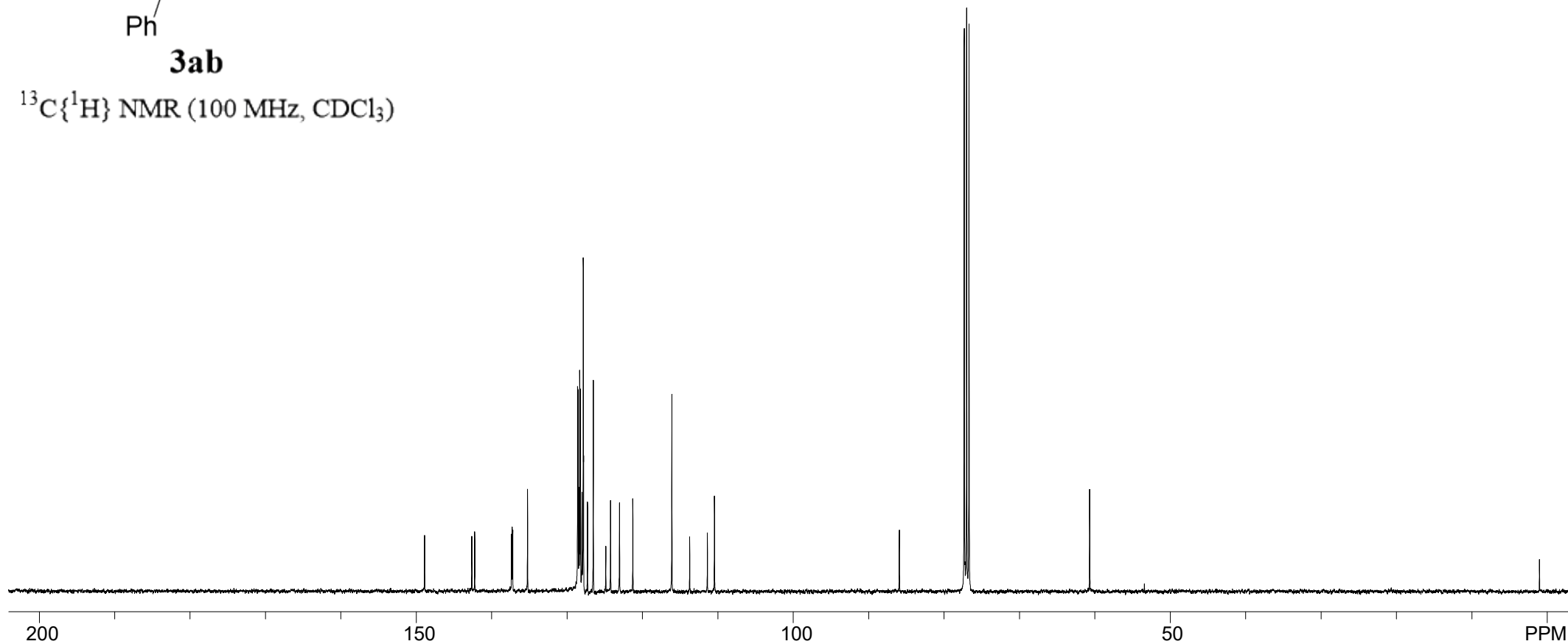
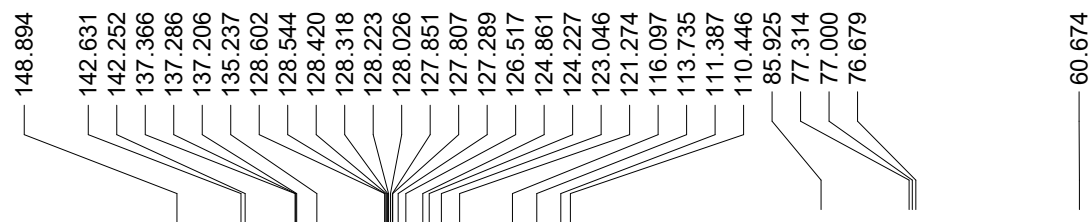
^1H NMR (400 MHz, CDCl_3)

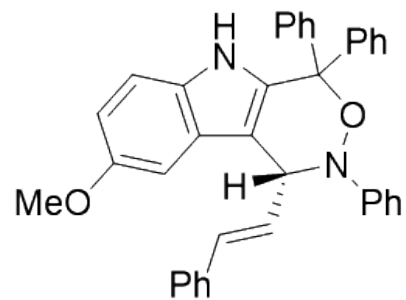




3ab

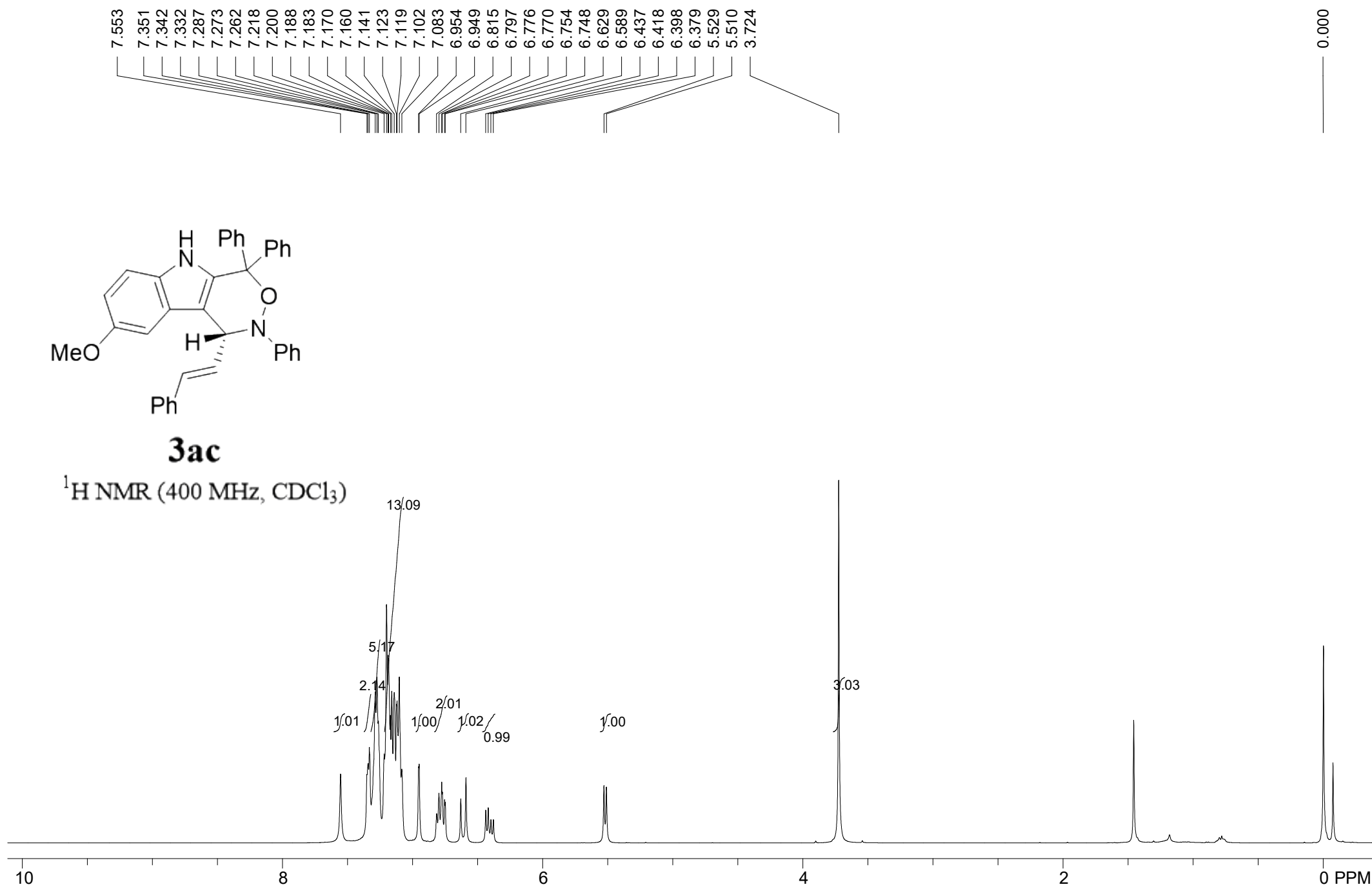
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

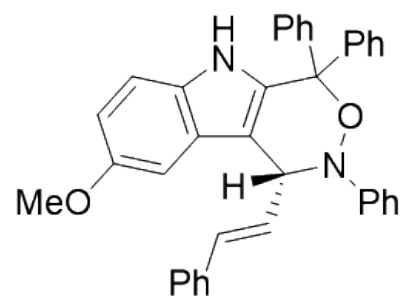




3ac

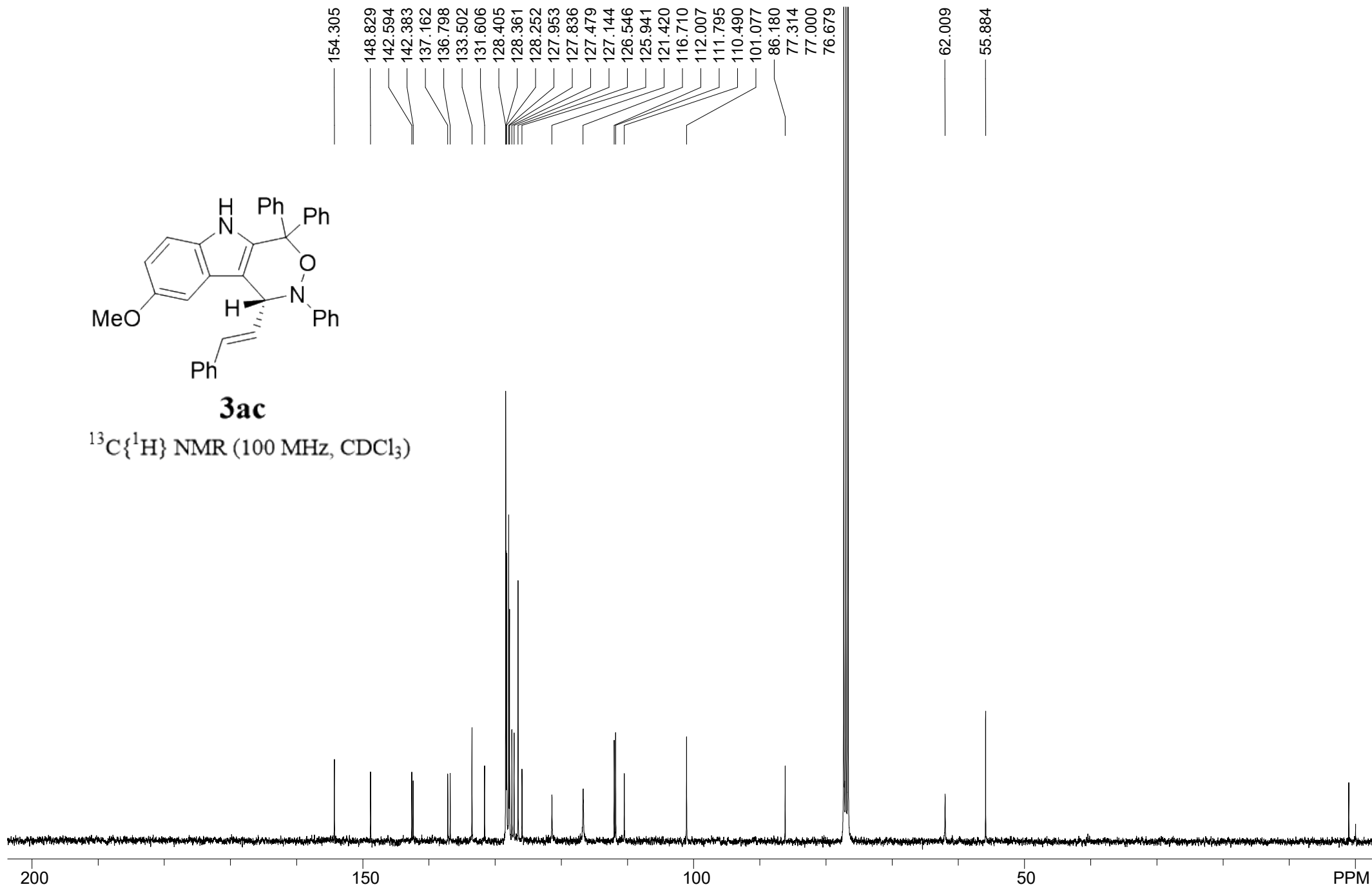
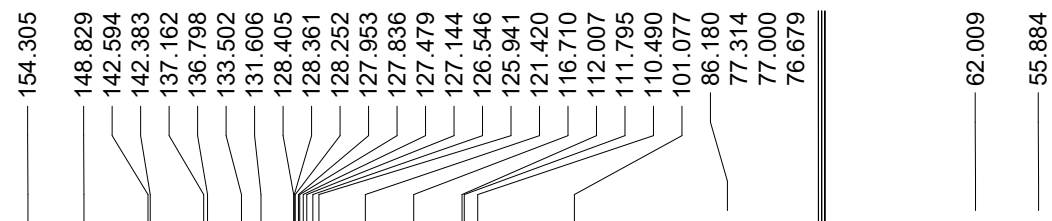
^1H NMR (400 MHz, CDCl_3)

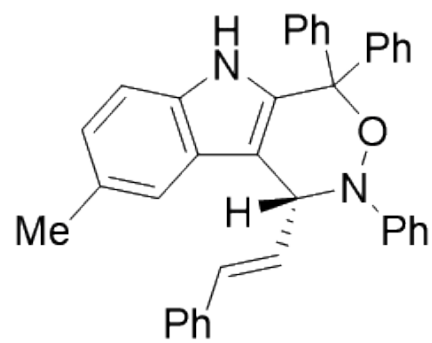




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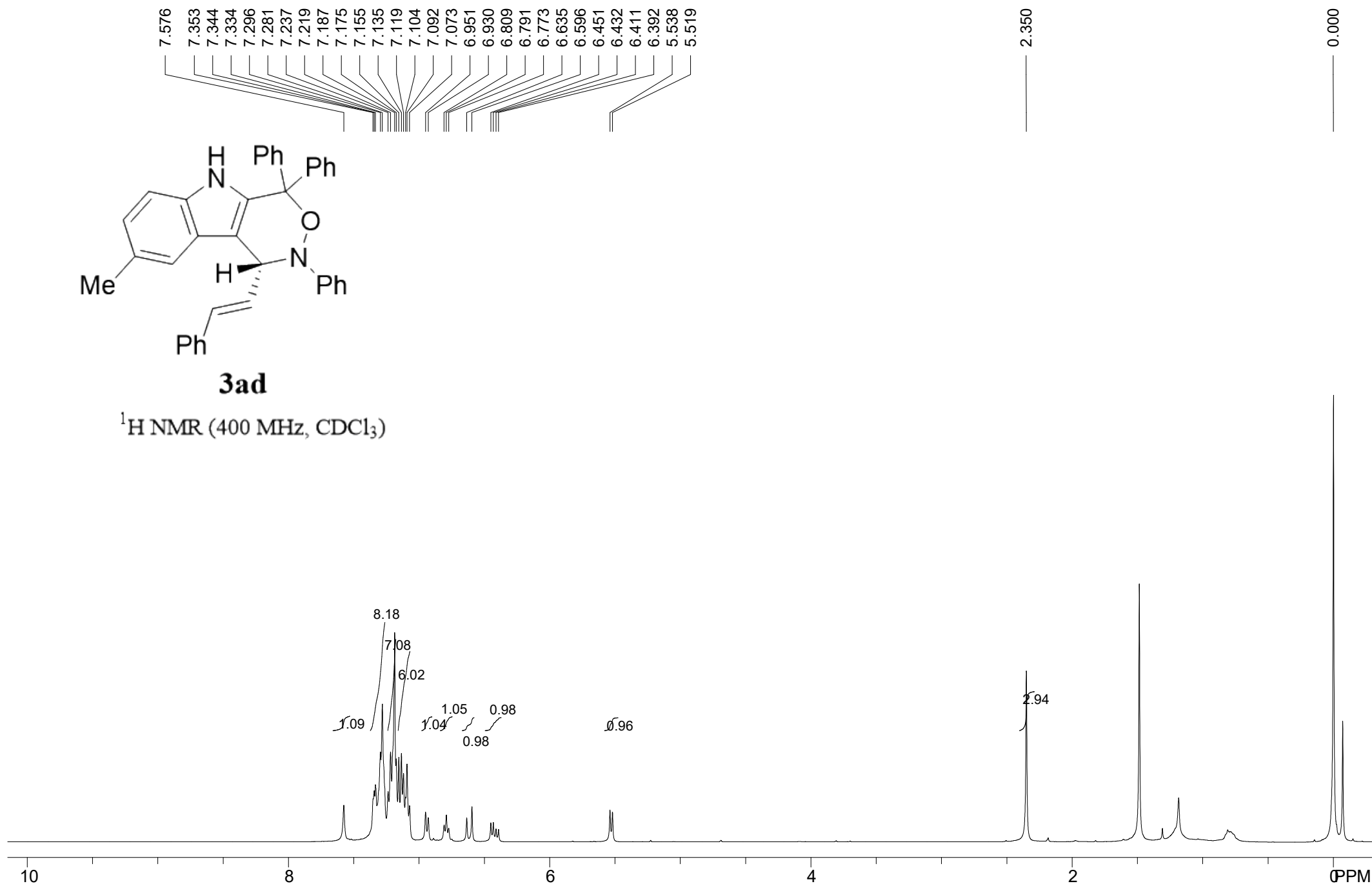
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

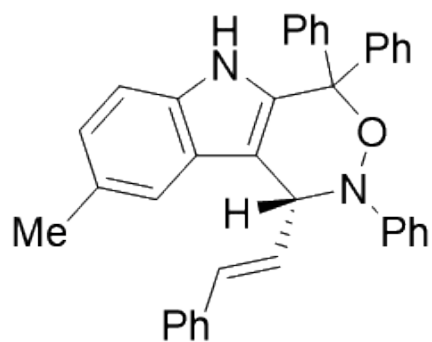




3ad

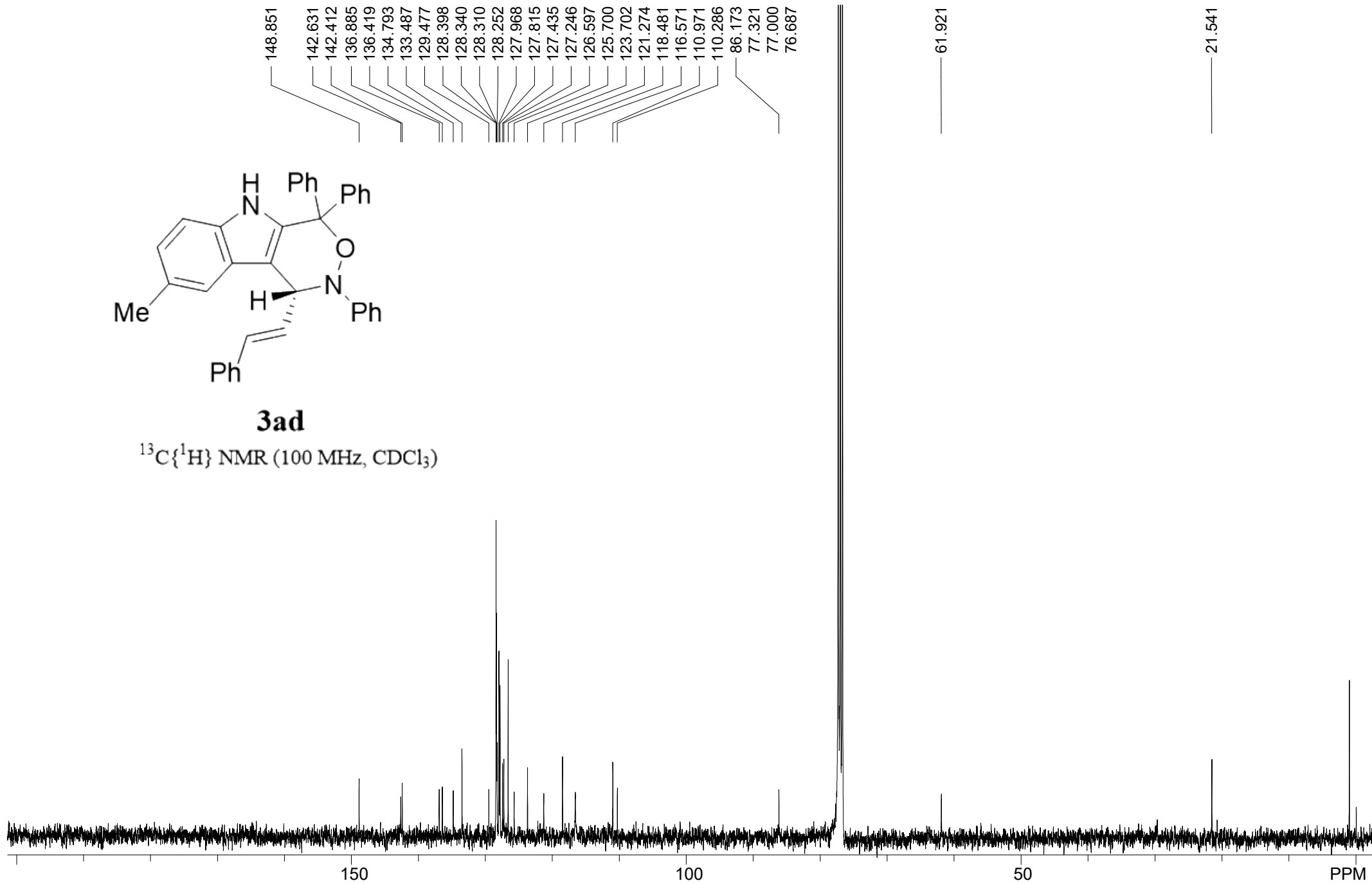
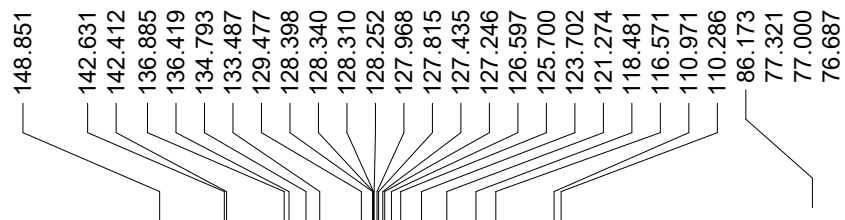
^1H NMR (400 MHz, CDCl_3)

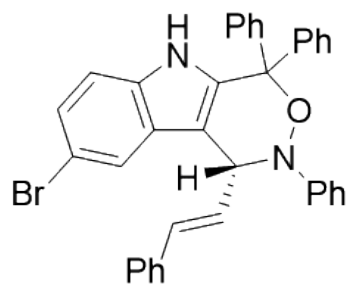




3ad

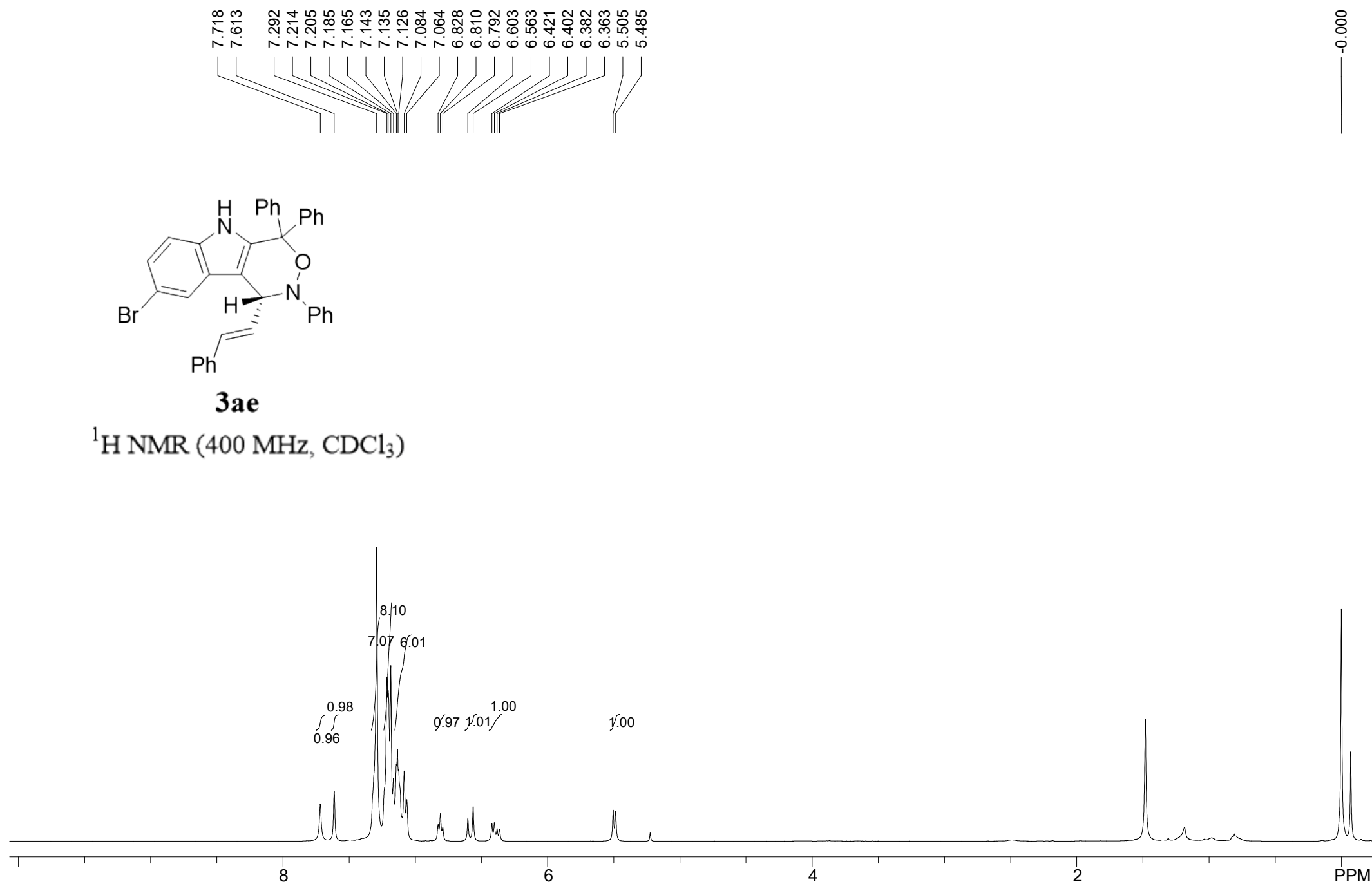
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

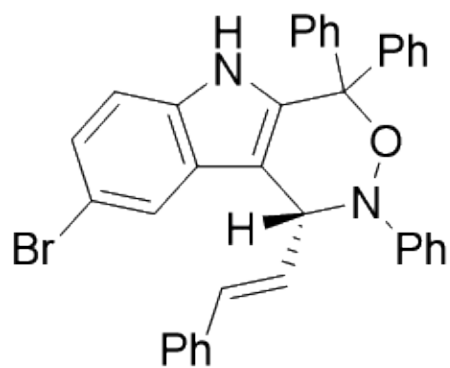




3ae

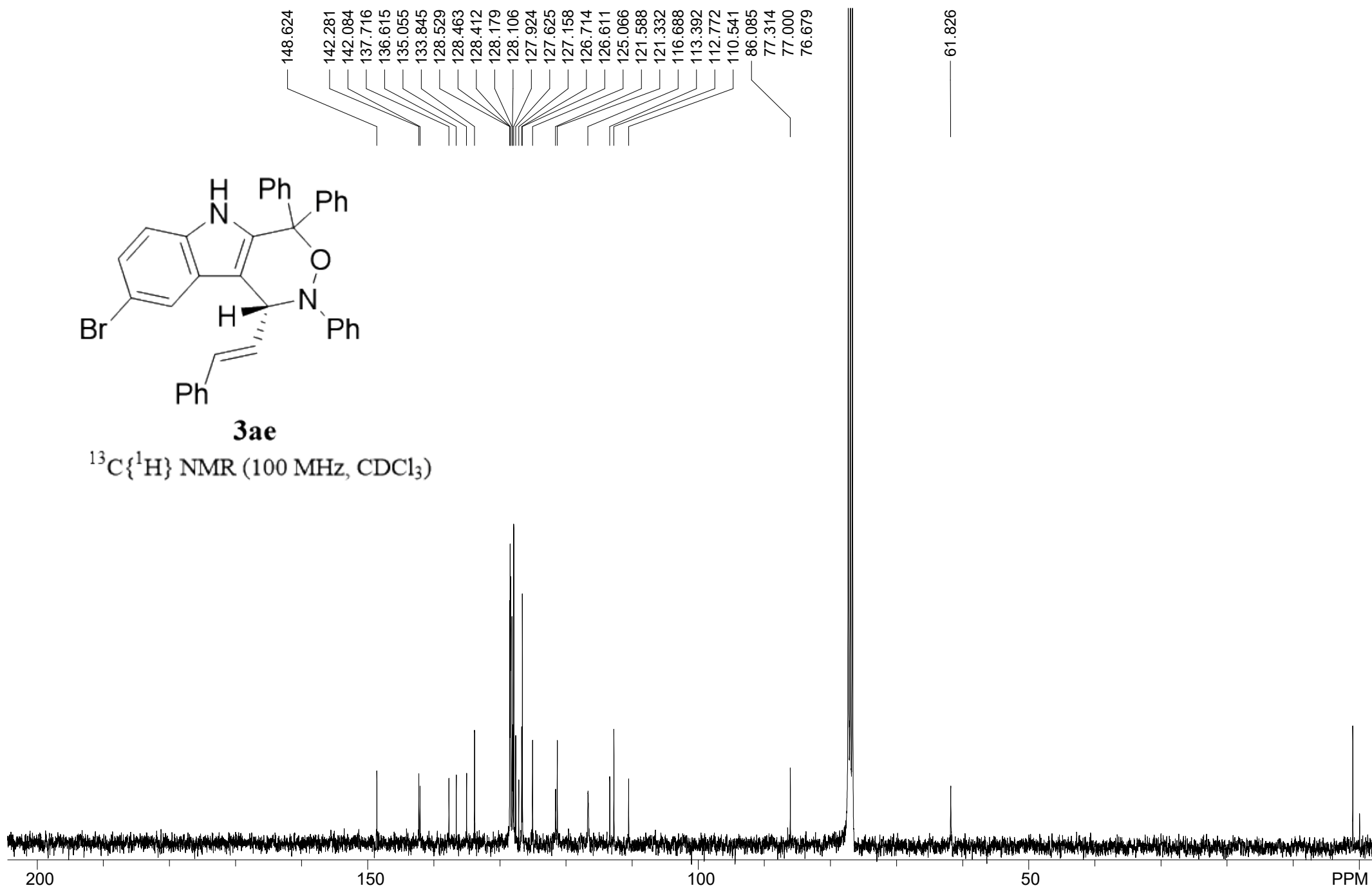
^1H NMR (400 MHz, CDCl_3)

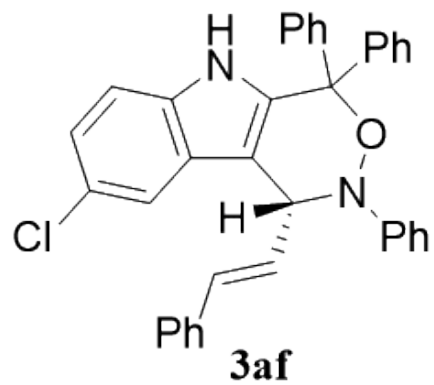




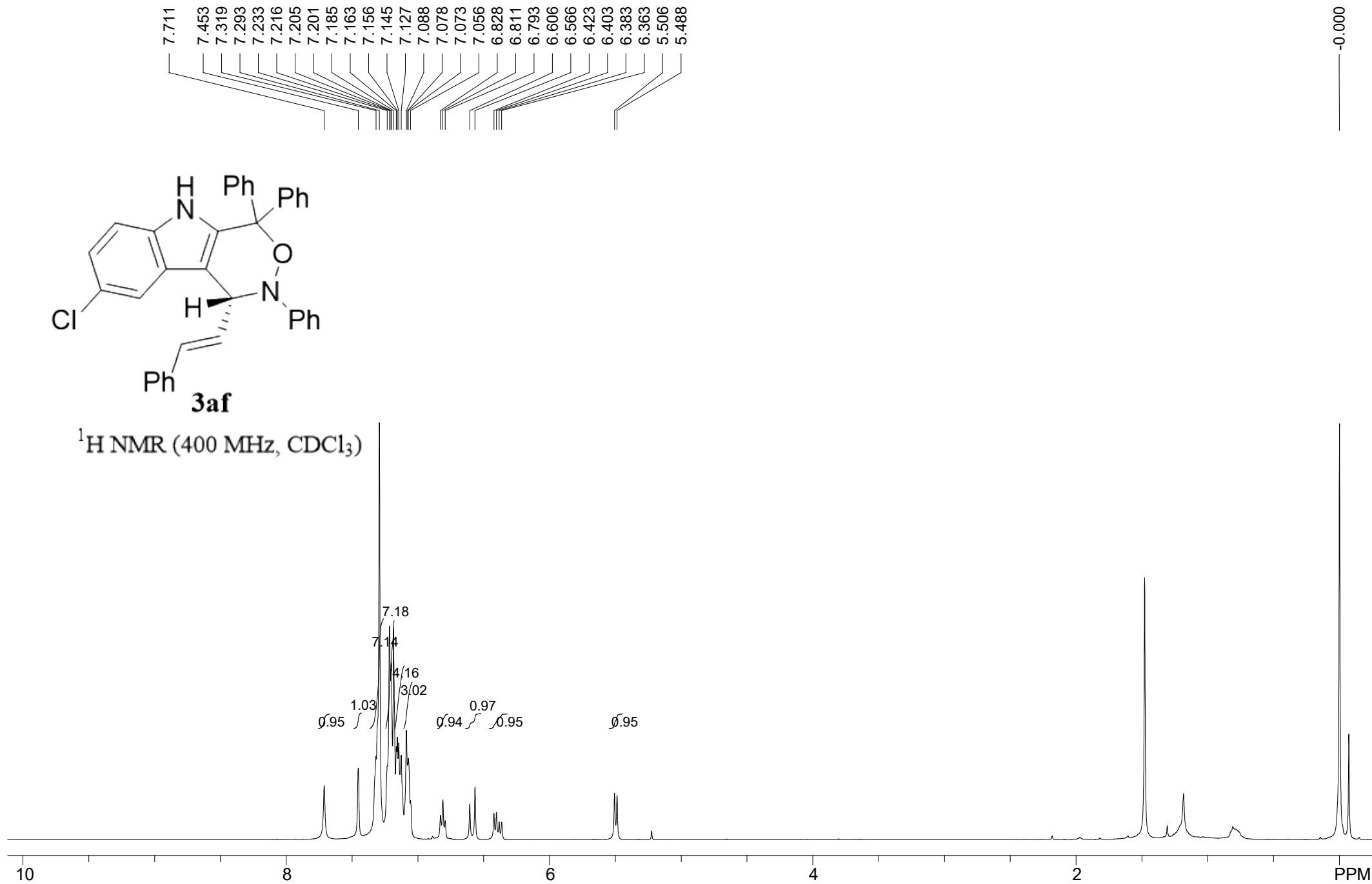
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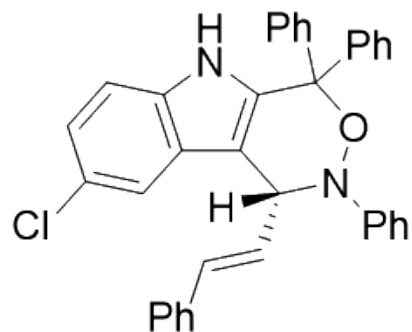
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)





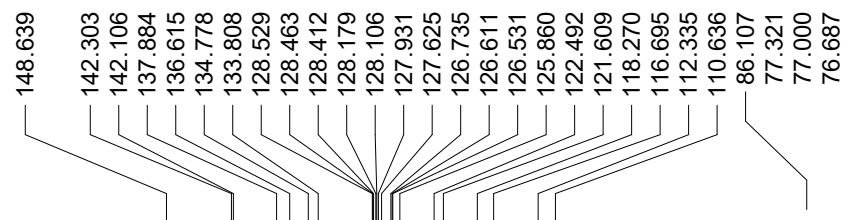
^1H NMR (400 MHz, CDCl_3)



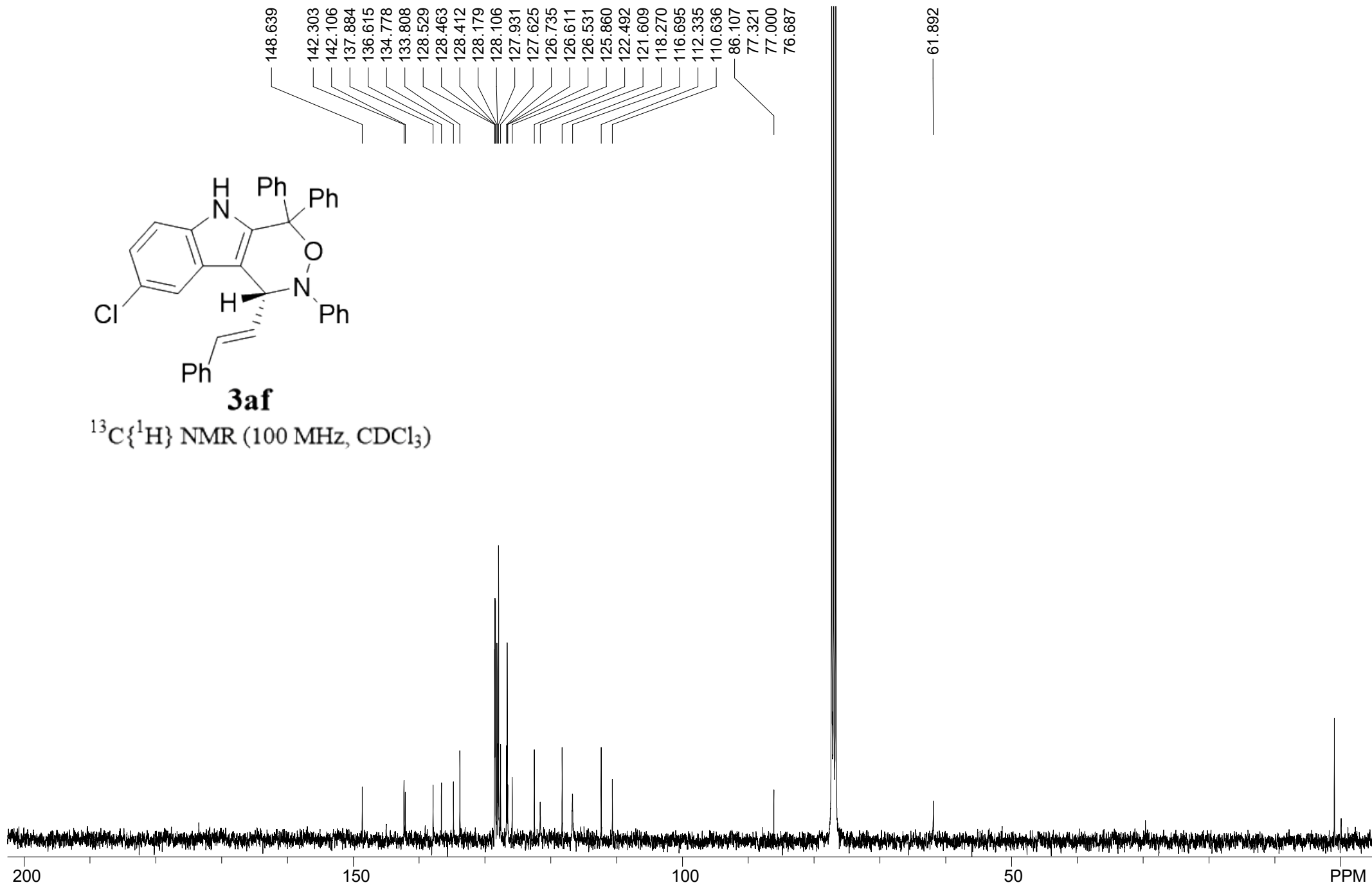


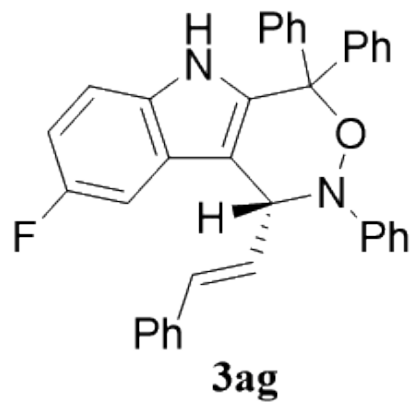
3af

$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

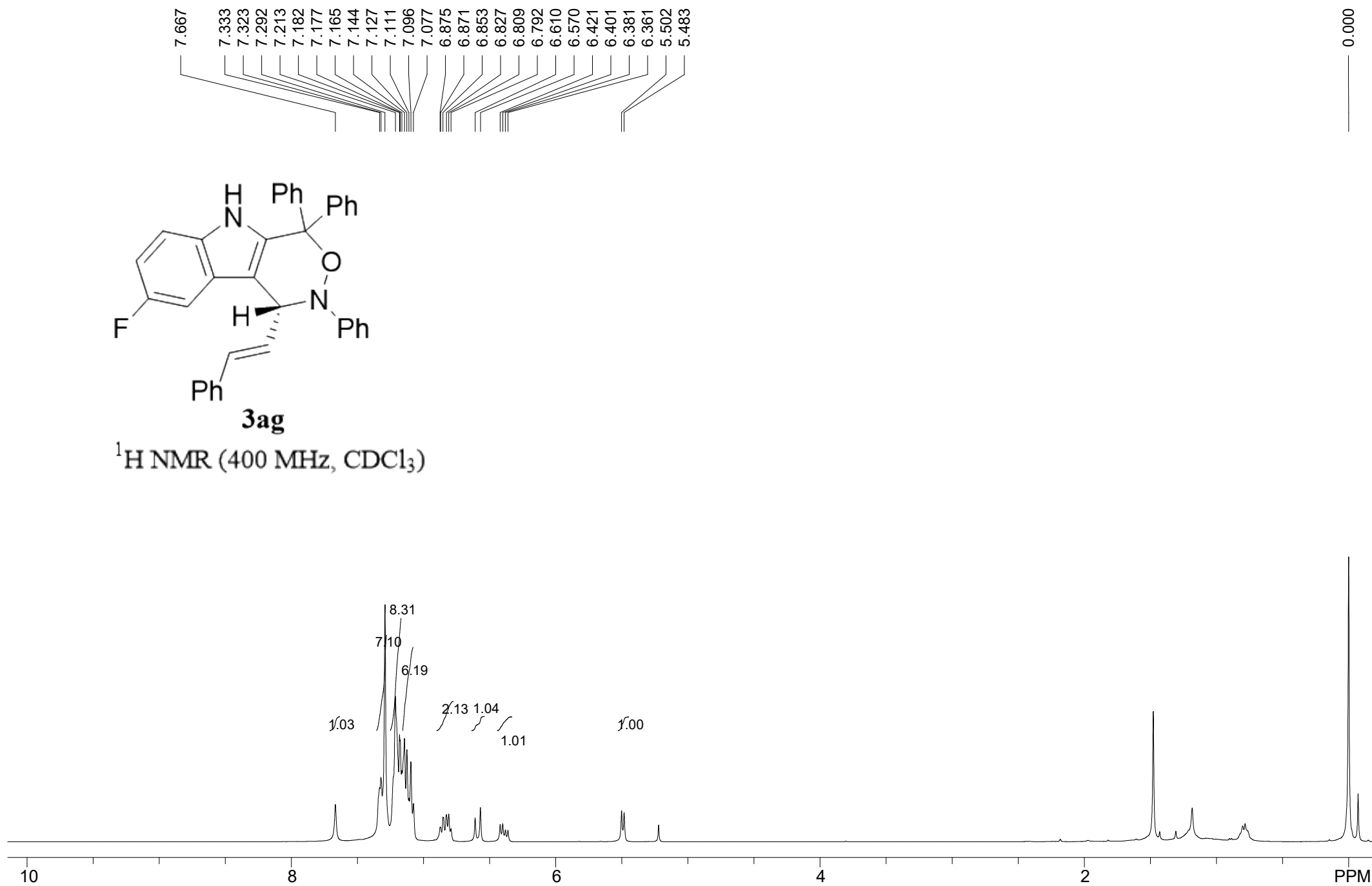


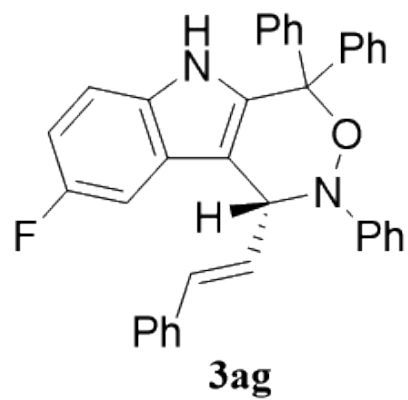
61.892



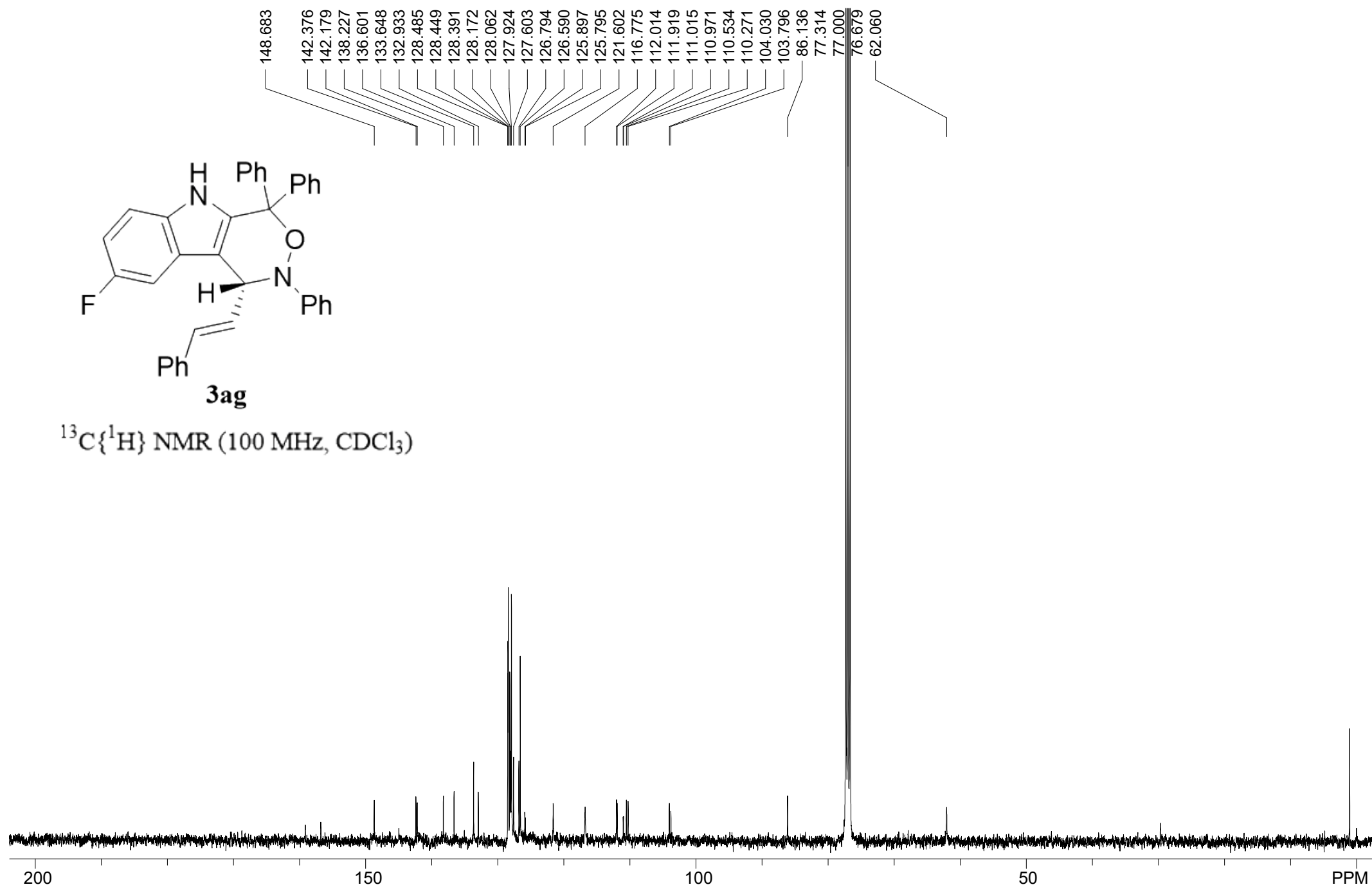


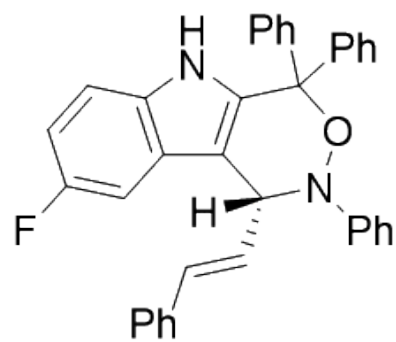
^1H NMR (400 MHz, CDCl_3)





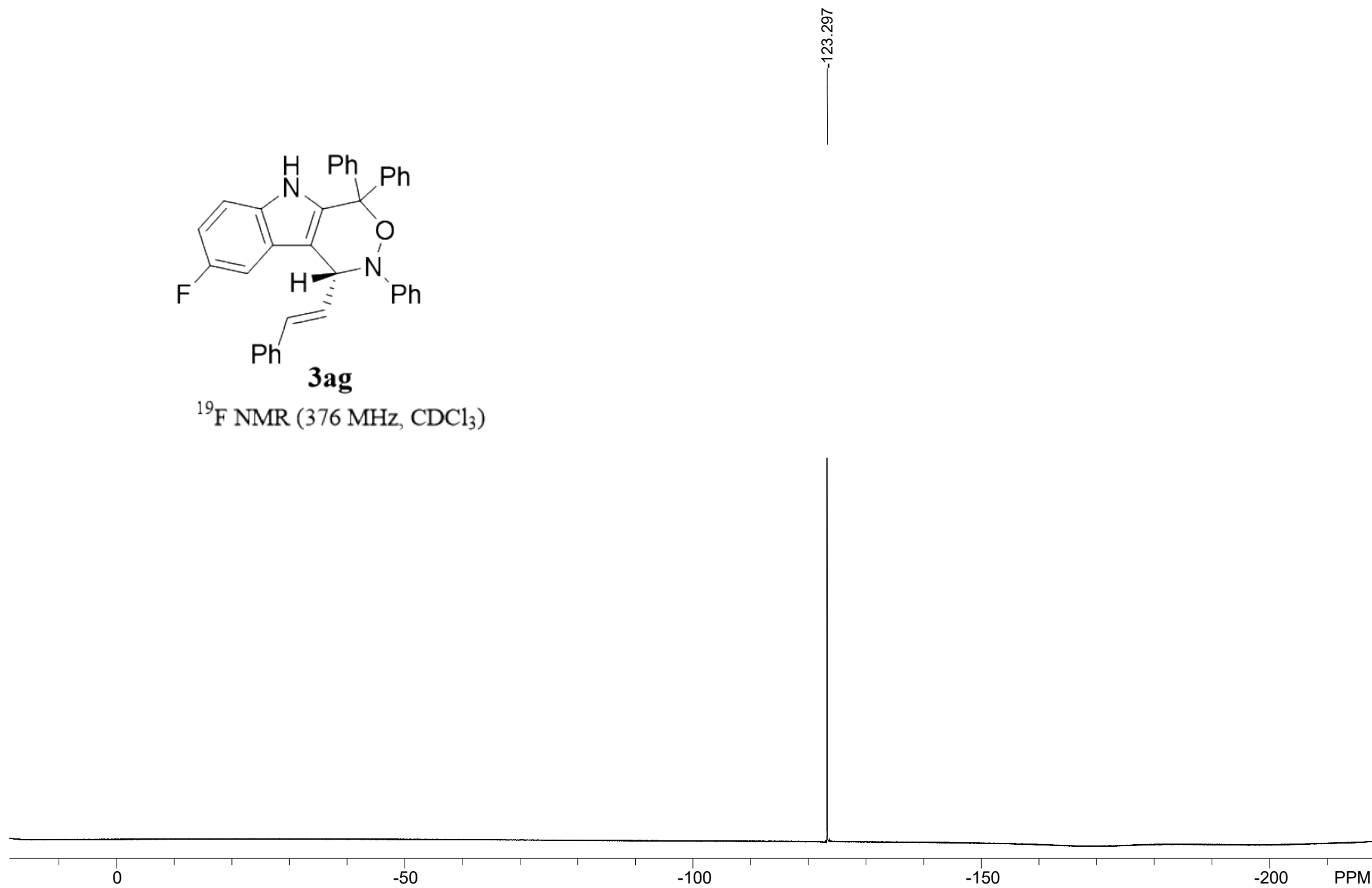
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

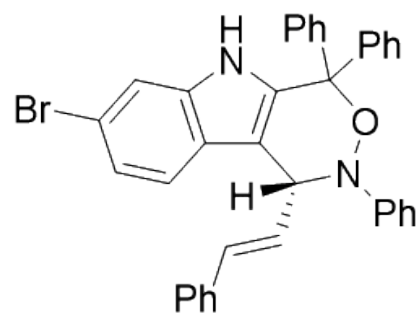




3ag

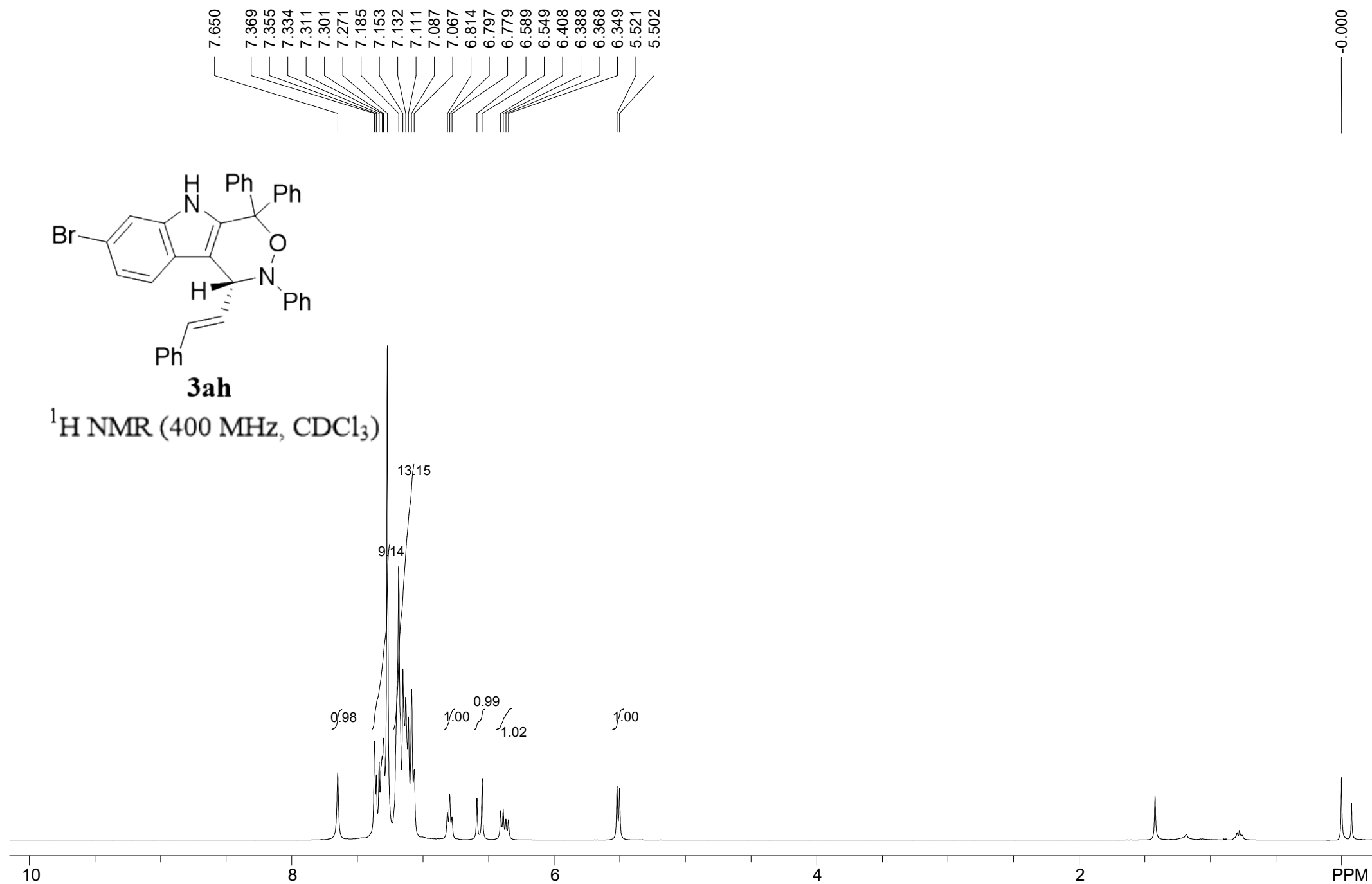
^{19}F NMR (376 MHz, CDCl_3)

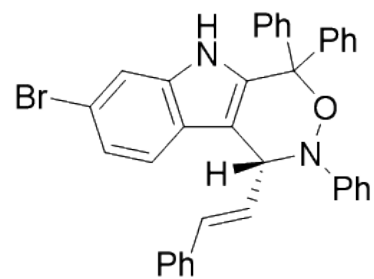




3ah

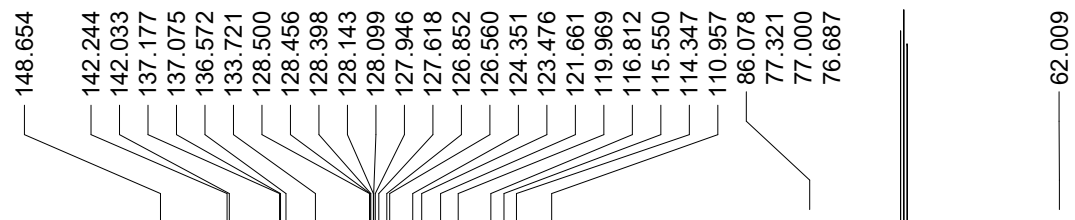
^1H NMR (400 MHz, CDCl_3)



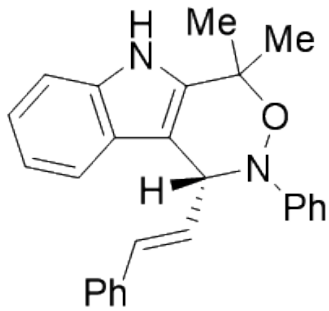


3ah

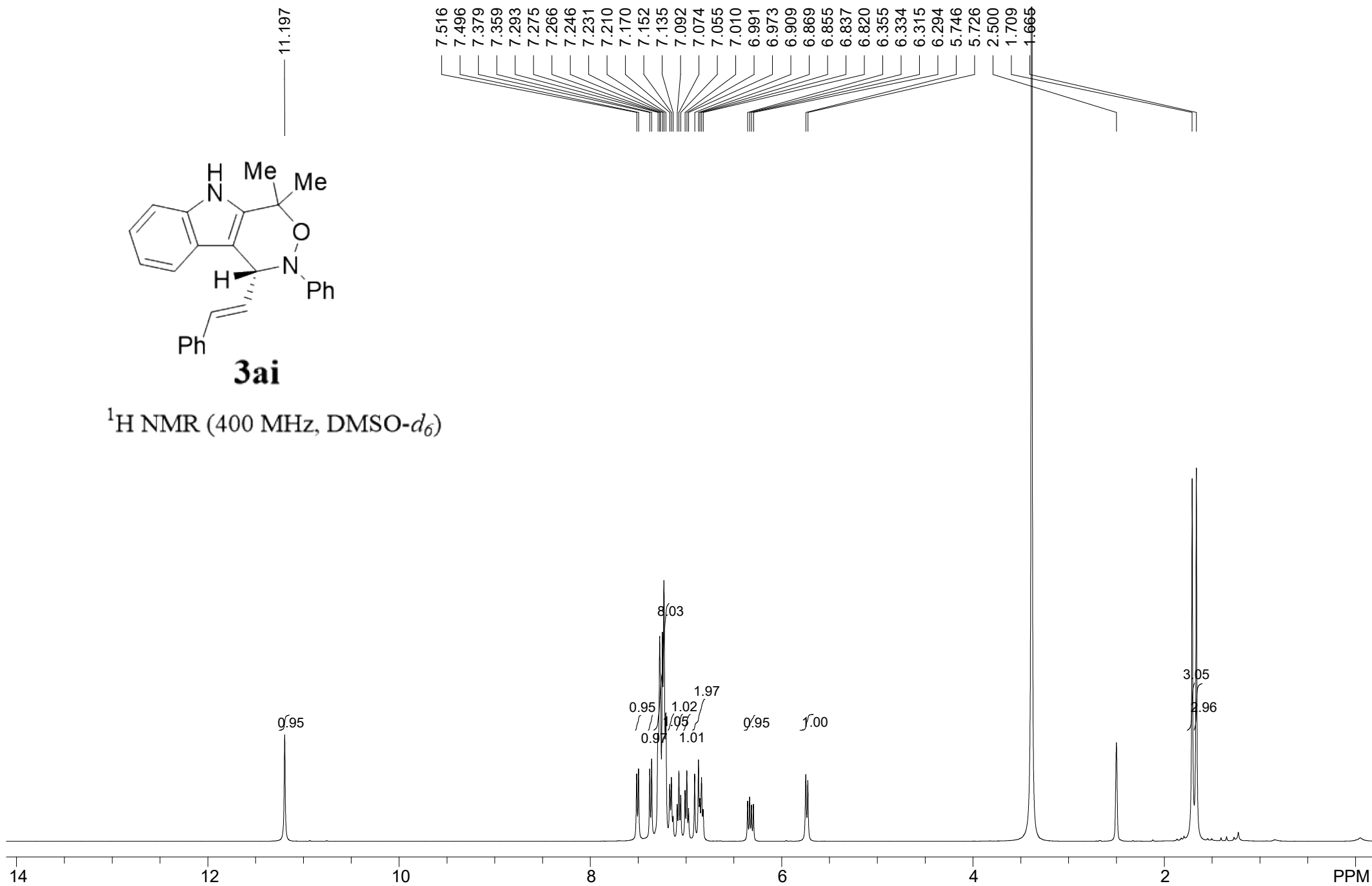
$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

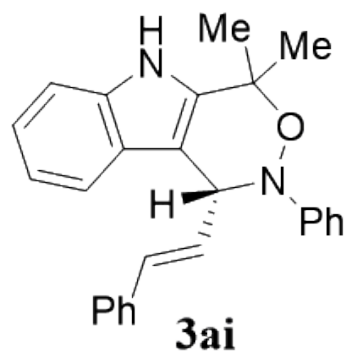


200 150 100 50 PPM

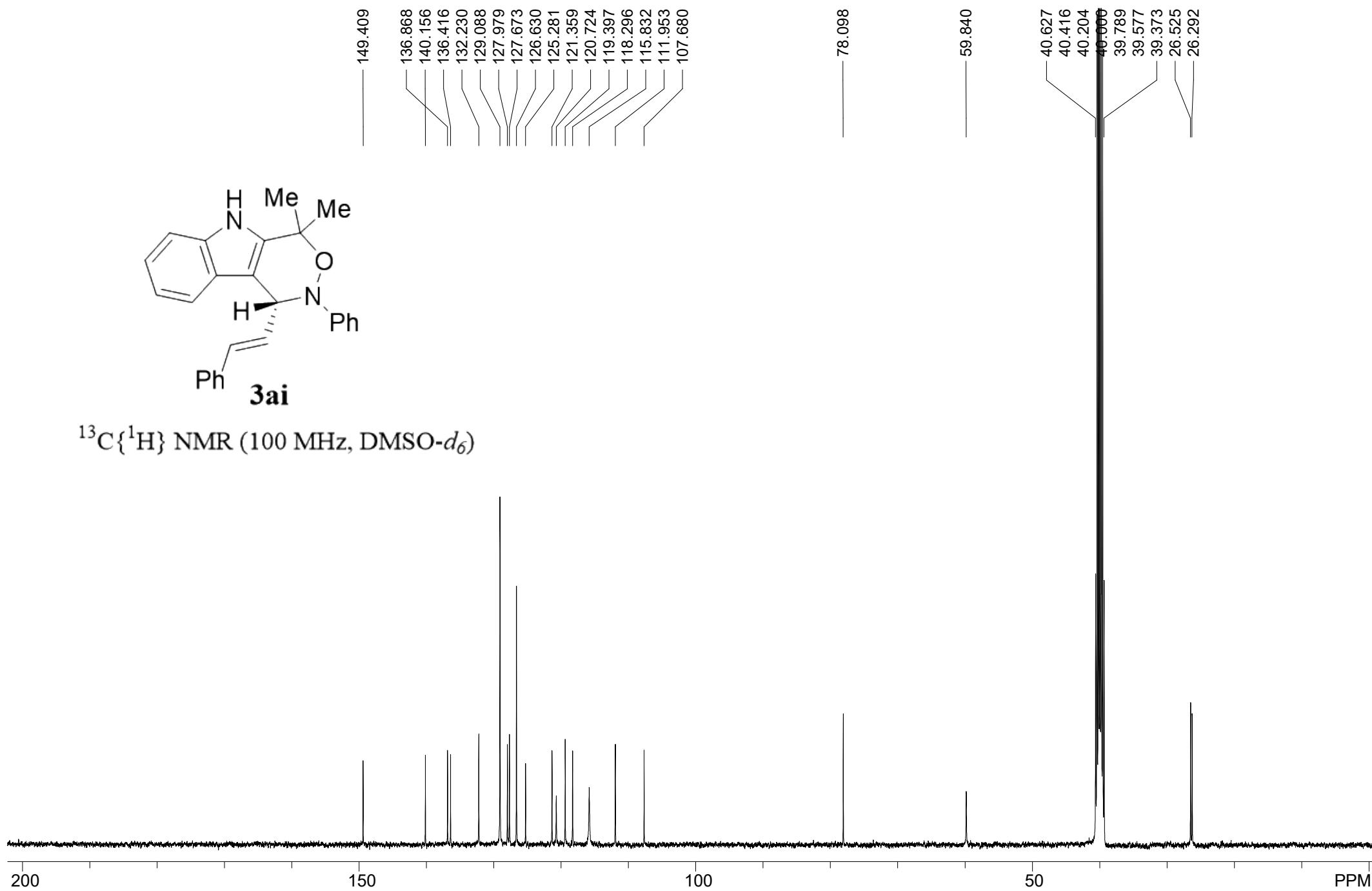


3ai

 ^1H NMR (400 MHz, DMSO- d_6)



$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, $\text{DMSO}-d_6$)

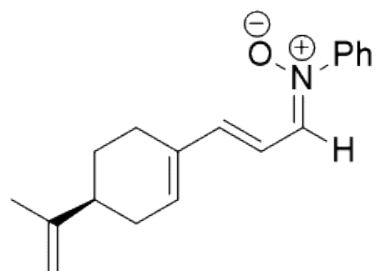


7.665
7.652
7.645
7.640
7.627
7.387
7.376
7.364
7.346
6.973
6.950
6.933
6.910
6.771
6.731
6.437
6.399
6.033
6.025
5.902

4.685
4.659

2.431
2.383
2.342
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2.238
2.168
2.137
2.108
2.060
2.031
2.008
1.964
1.682

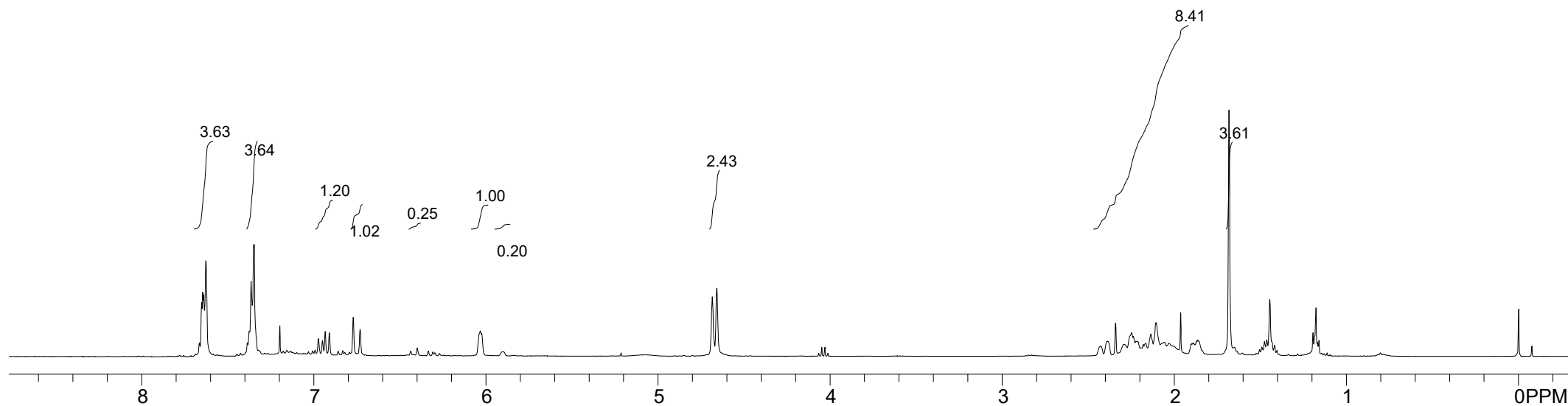
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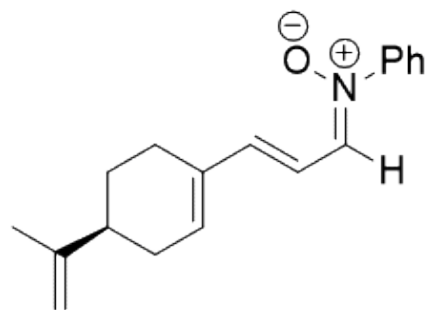


5

E/Z = 5:1

^1H NMR (400 MHz, CDCl_3)

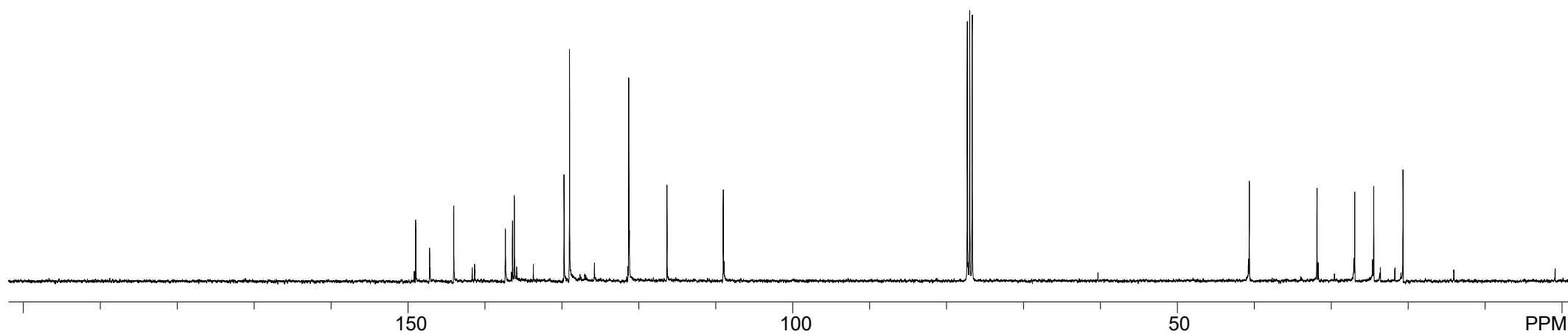
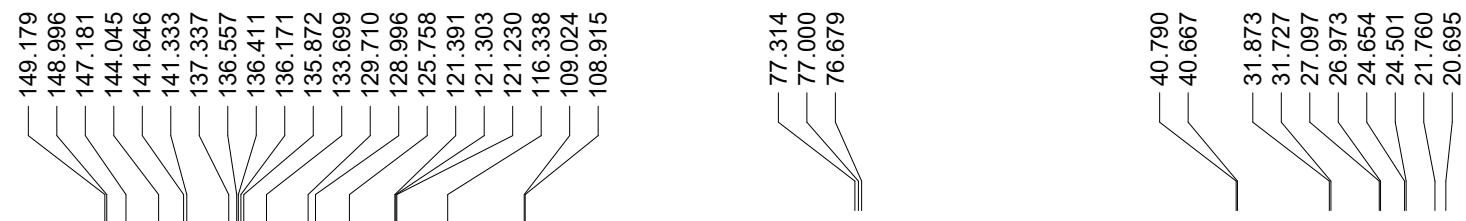


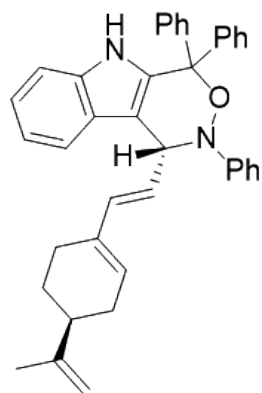


5

E/Z = 5:1

$^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)





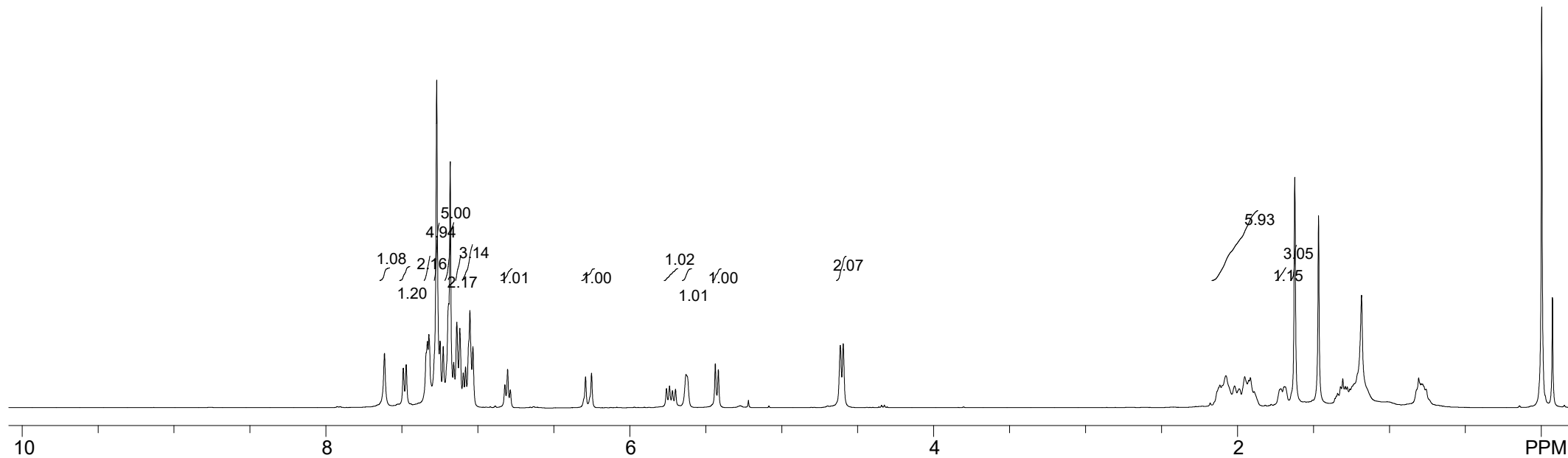
6

^1H NMR (400 MHz, CDCl_3)

7.615
7.491
7.472
7.341
7.332
7.323
7.271
7.249
7.228
7.193
7.182
7.159
7.139
7.119
7.097
7.081
7.053
7.032
6.822
6.805
6.787
6.292
6.252
5.759
5.739
5.720
5.700
5.631
5.437
5.418
4.615
4.596

2.118
2.100
2.077
2.020
1.991
1.954
1.928
1.917
1.892
1.717
1.693
1.686
1.624

0.000





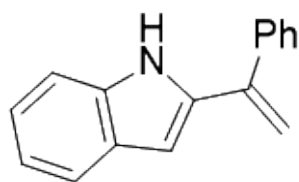
Point	Value
1	149,864
2	148,916
3	142,609
4	142,434
5	136,513
6	136,477
7	136,083
8	134,975
9	128,762
10	128,697
11	128,376
12	128,310
13	128,237
14	128,026
15	127,938
16	127,815
17	125,532
18	123,046
19	122,069
20	121,172
21	120,056
22	118,955
23	116,746
24	111,401
25	111,256
26	108,550
27	86,093
28	77,321
29	77,000
30	76,687



7.945
7.469
7.453
7.373
7.366
7.288
7.281
7.190
7.174
7.092
7.078
7.062
7.005
6.990
6.976
6.402

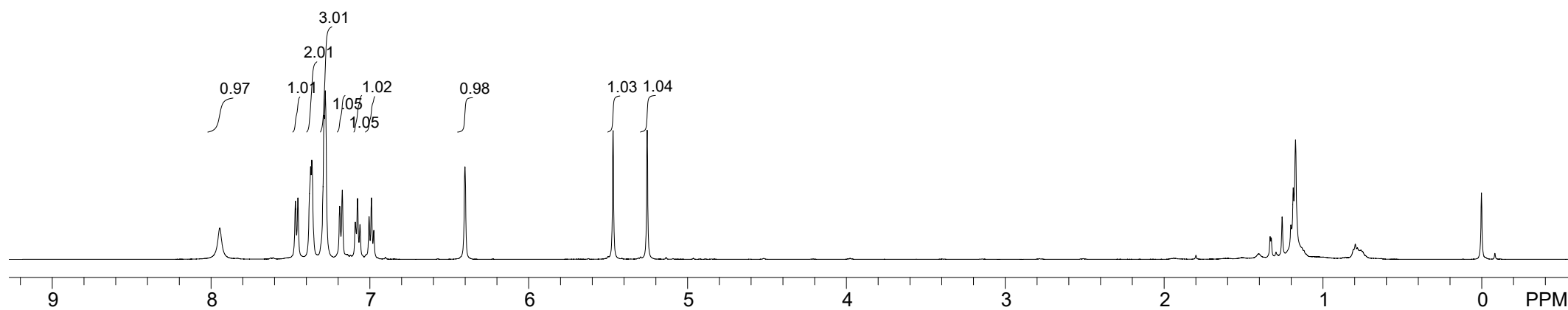
5.469
5.254

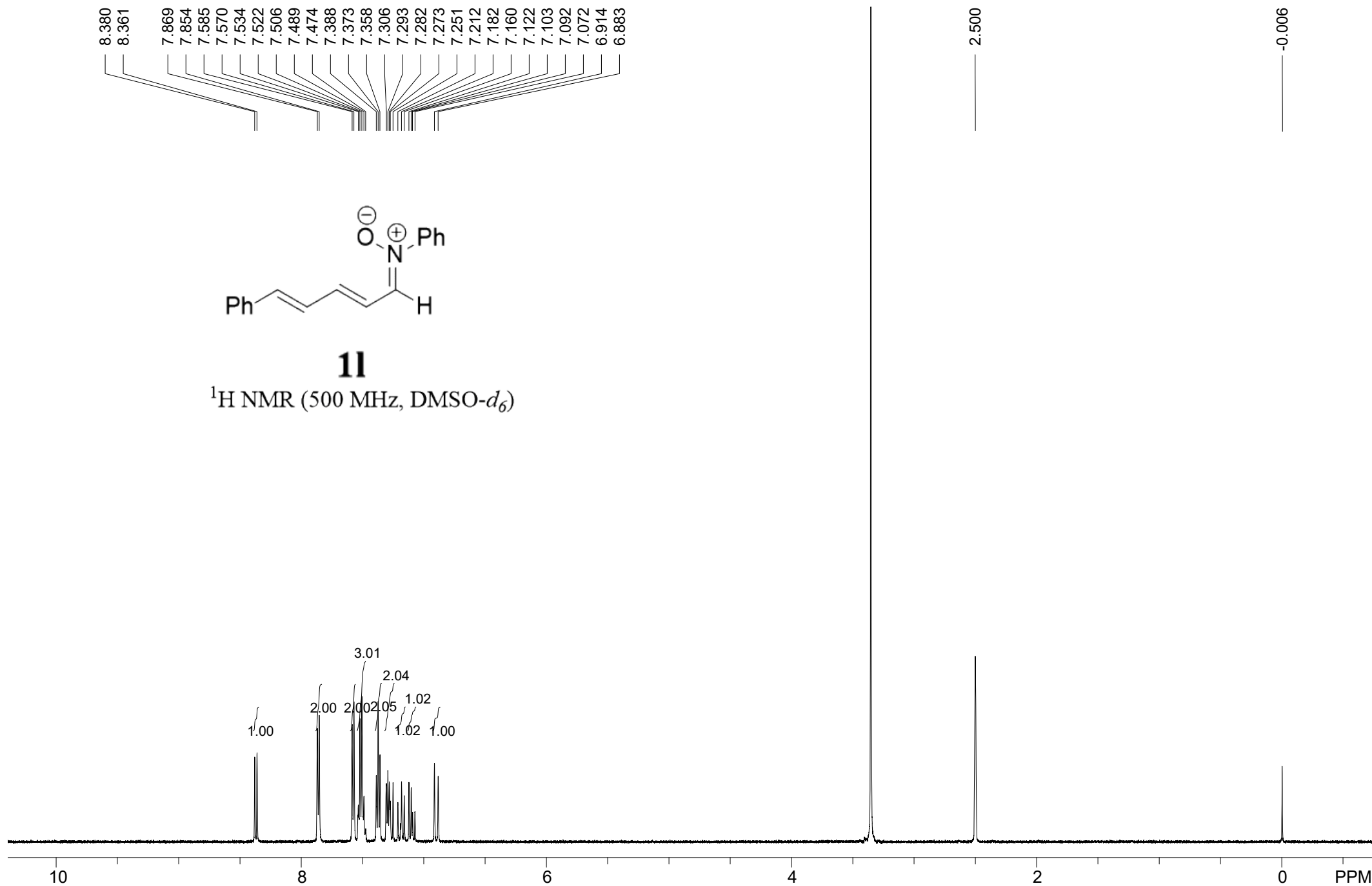
-0.000

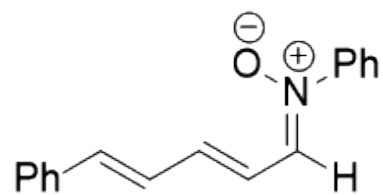


4j

^1H NMR (500 MHz, CDCl_3)

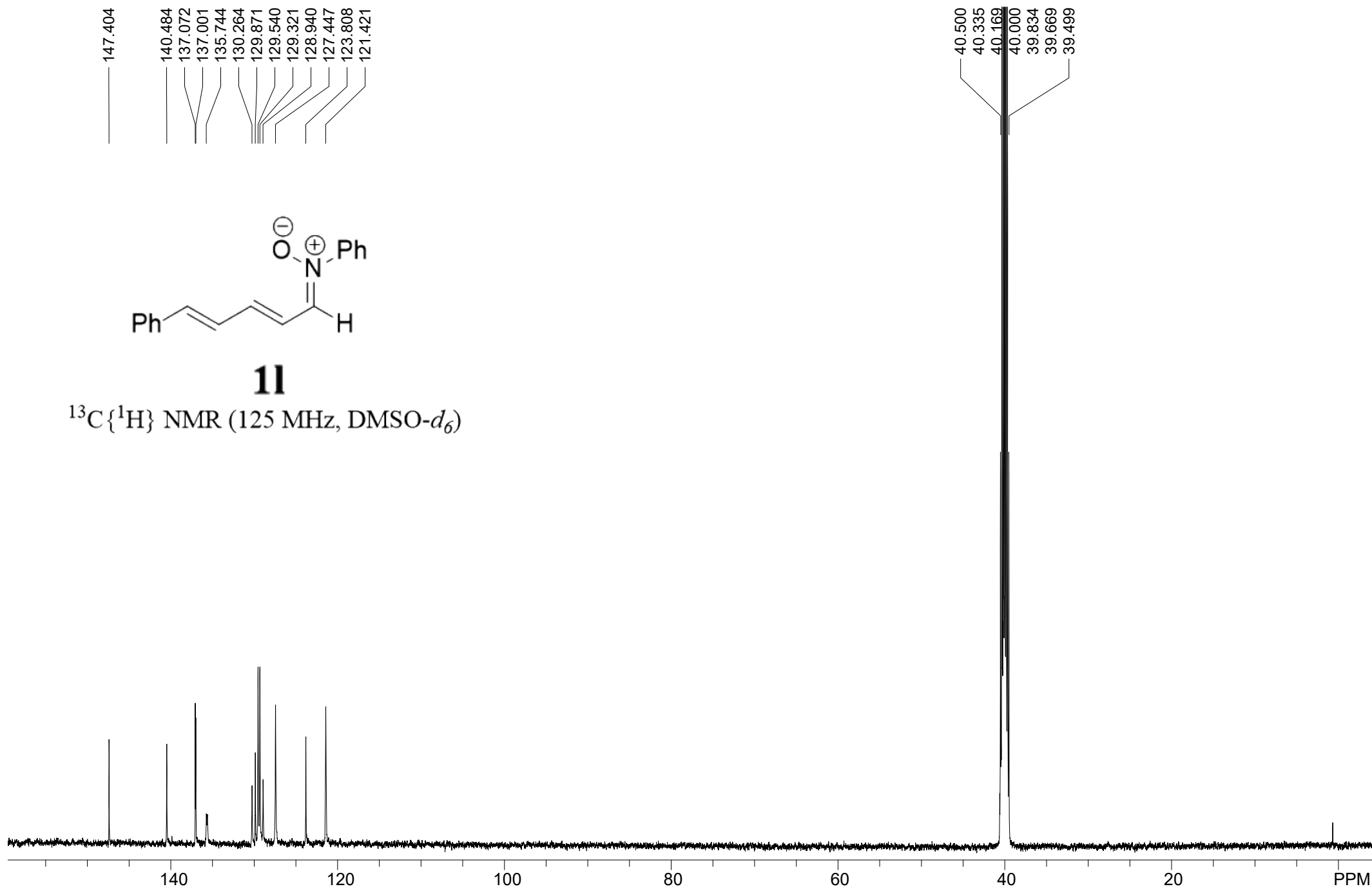






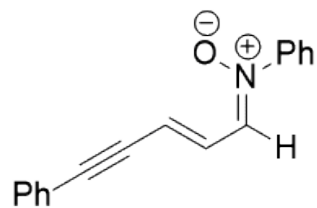
11

$^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, DMSO- d_6)



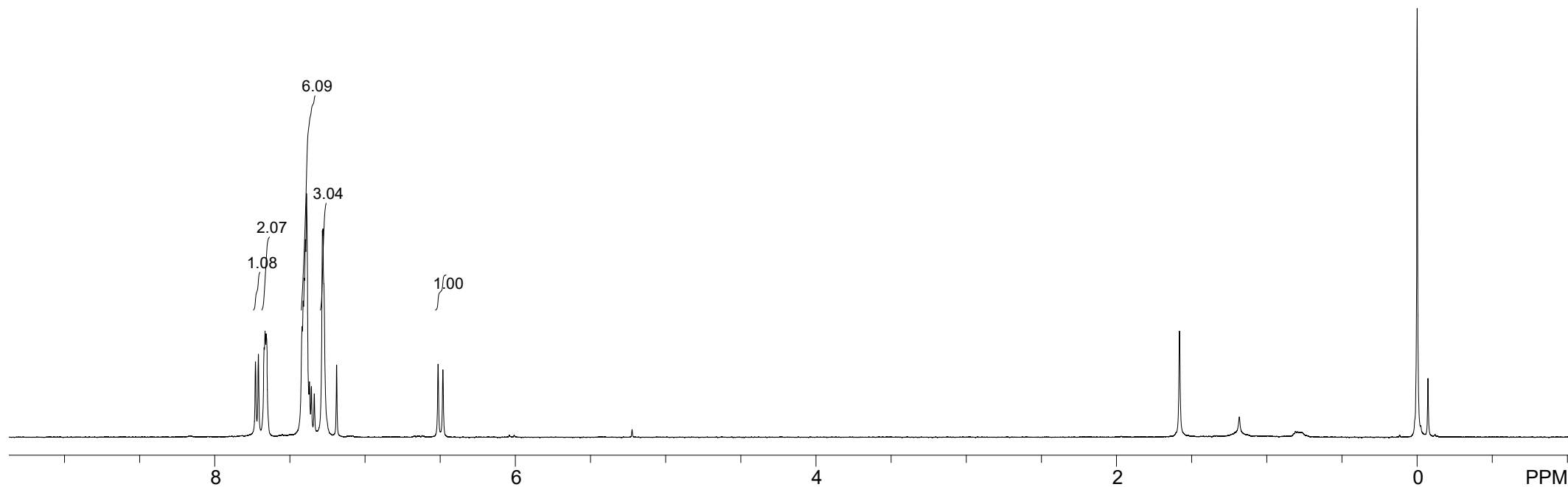
7.729
7.710
7.672
7.665
7.657
7.419
7.411
7.404
7.398
7.390
7.370
7.357
7.338
7.284
7.280
6.514
6.482

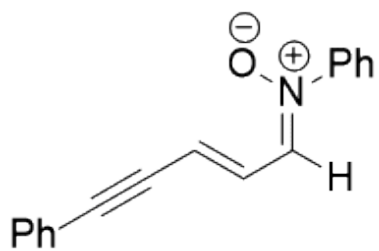
0.000



1m

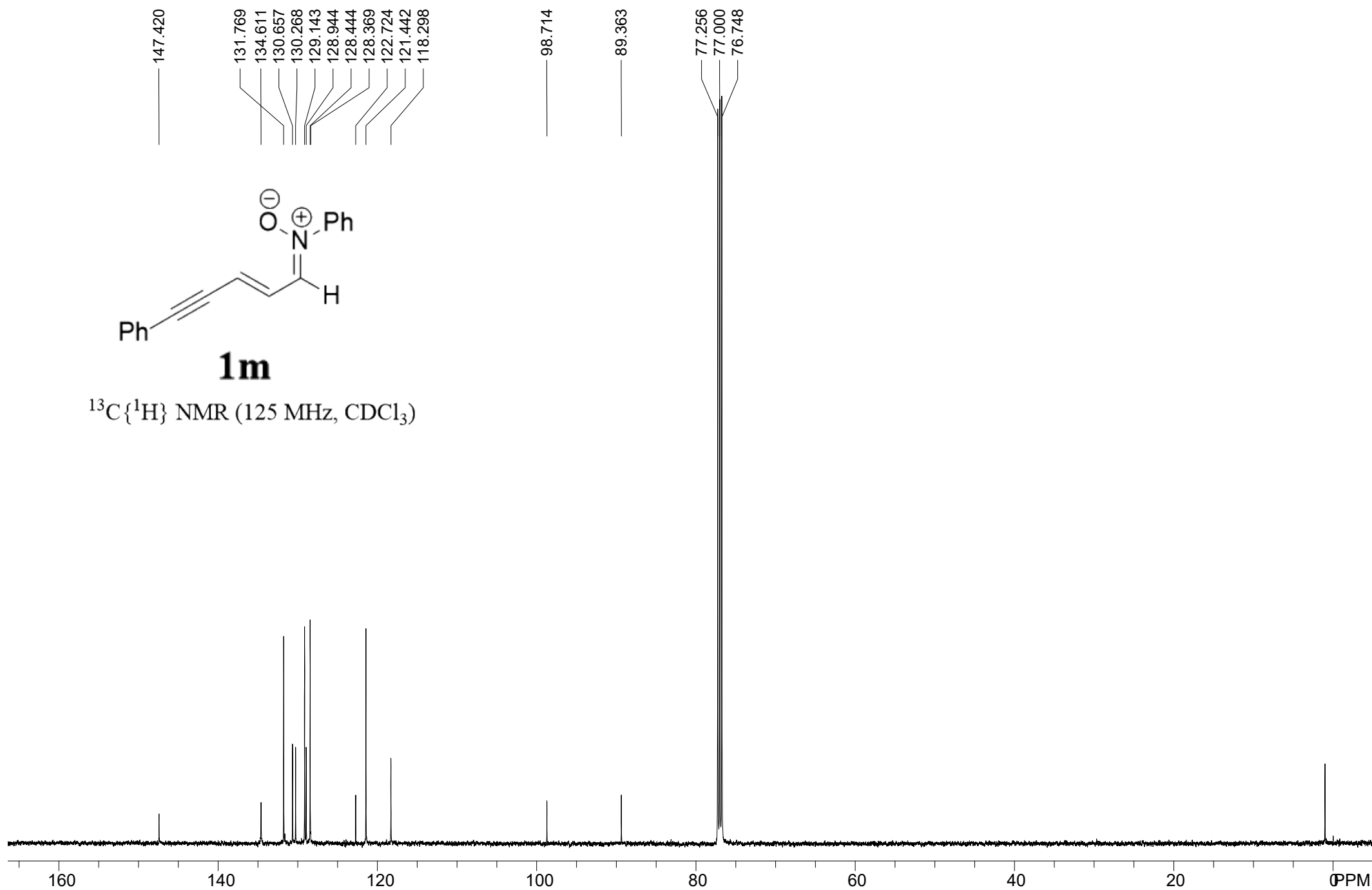
^1H NMR (500 MHz, CDCl_3)





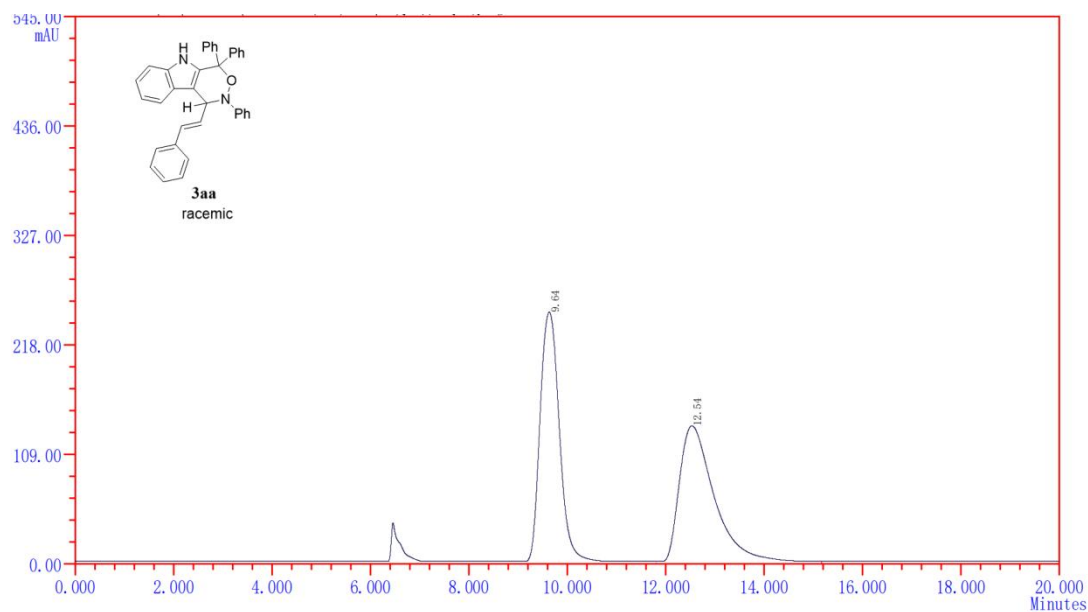
1m

¹³C{¹H} NMR (125 MHz, CDCl₃)



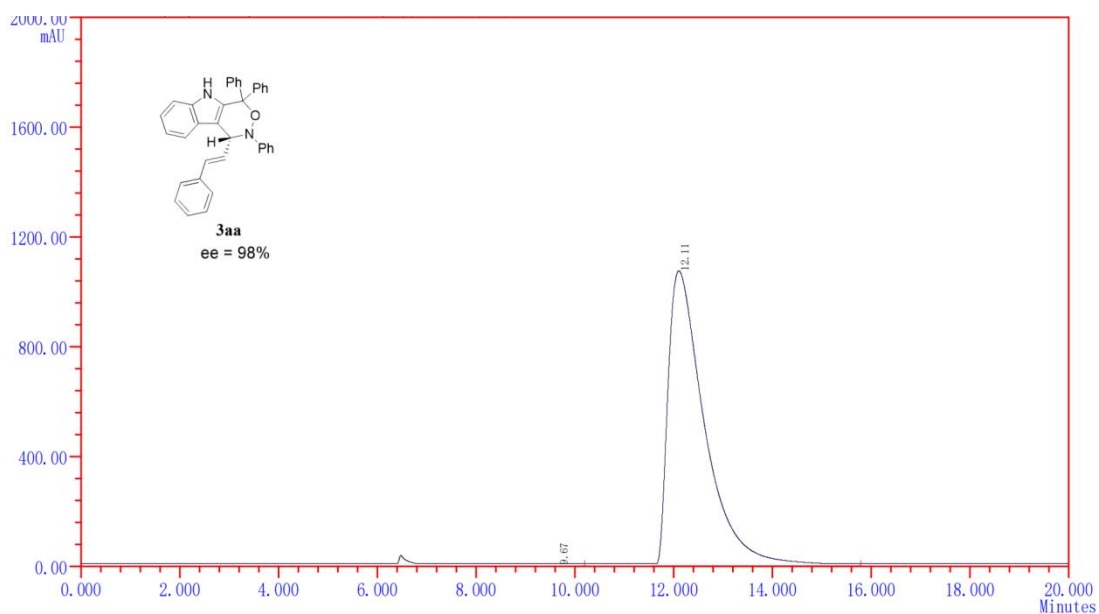
3aa

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.640	259.19	8378.183	51.499
2	12.540	142.83	7890.591	48.501

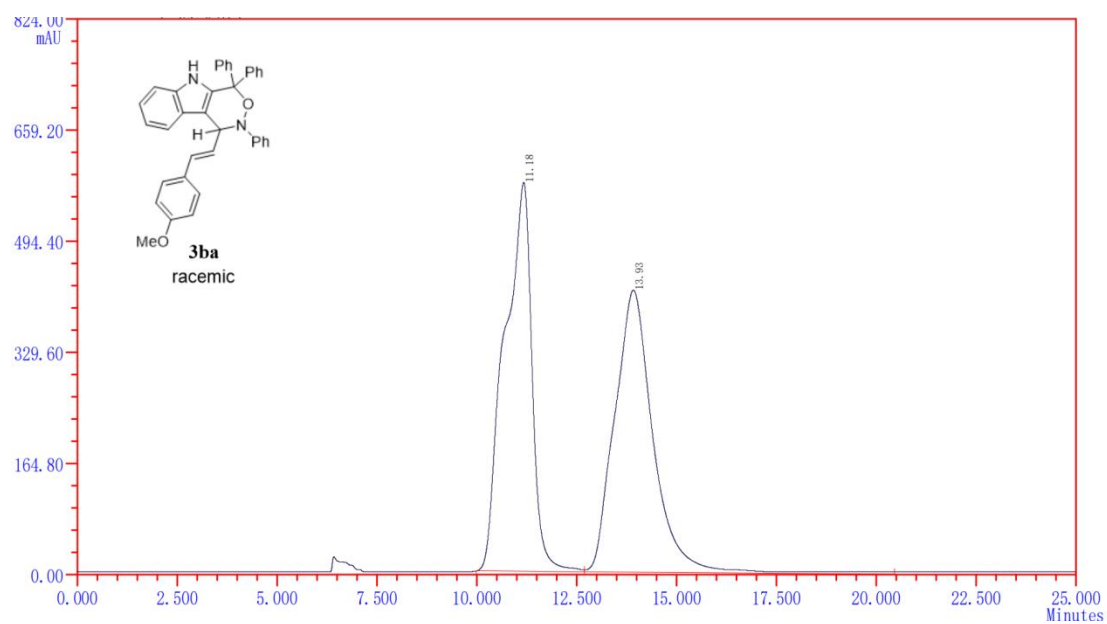
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.669	7.49	423.347	0.759
2	12.112	1074.18	55354.899	99.241

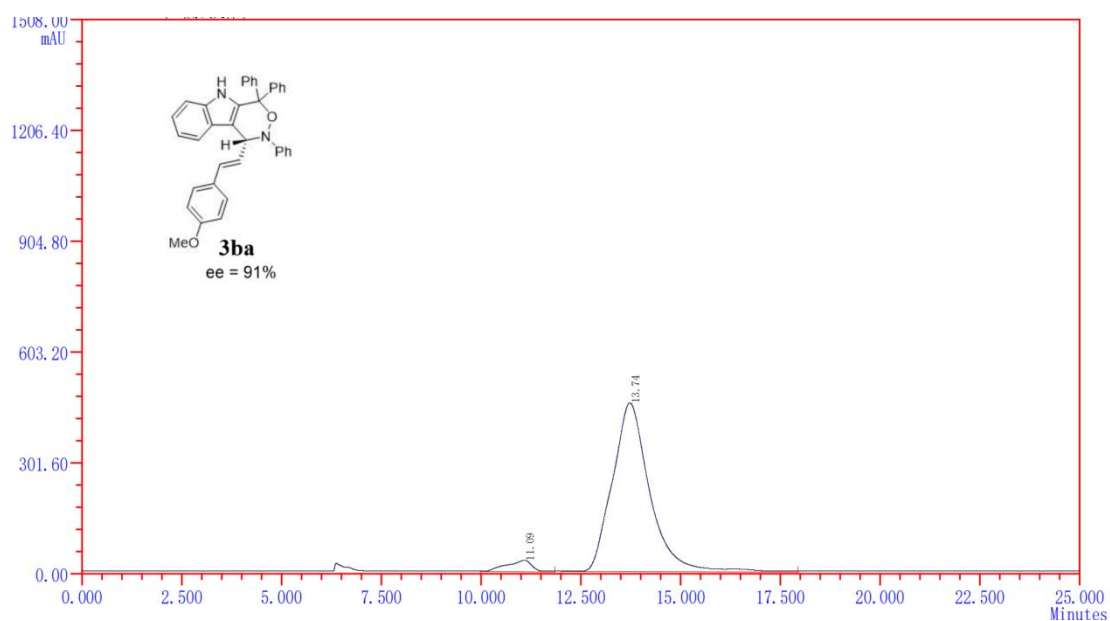
3ba

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.180	576.63	27417.987	49.705
2	13.926	418.02	27743.321	50.295

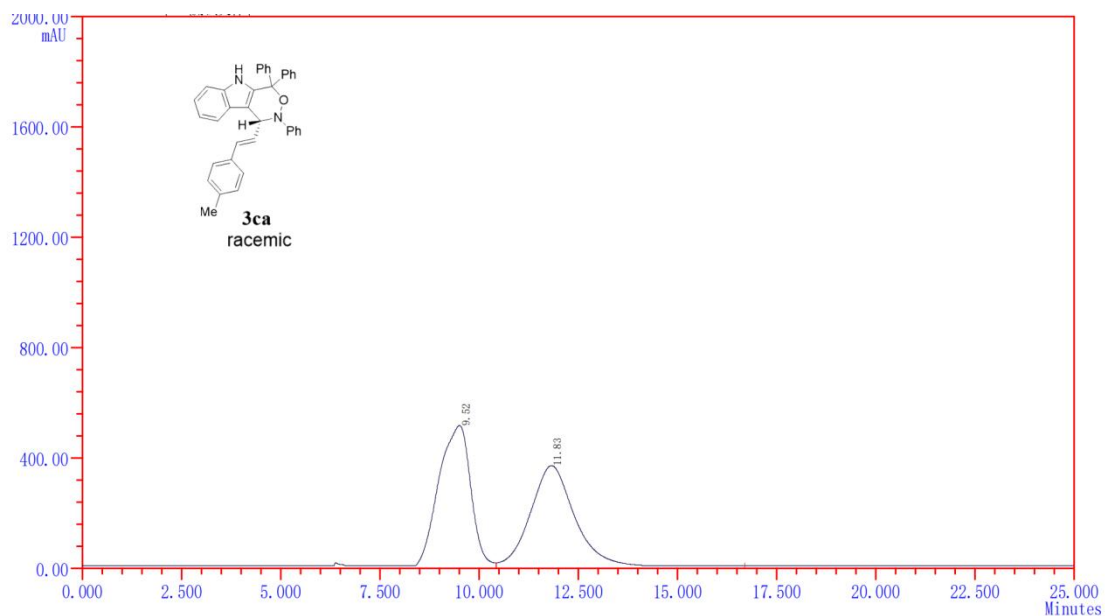
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.092	31.49	1399.554	4.456
2	13.735	459.77	30009.597	95.544

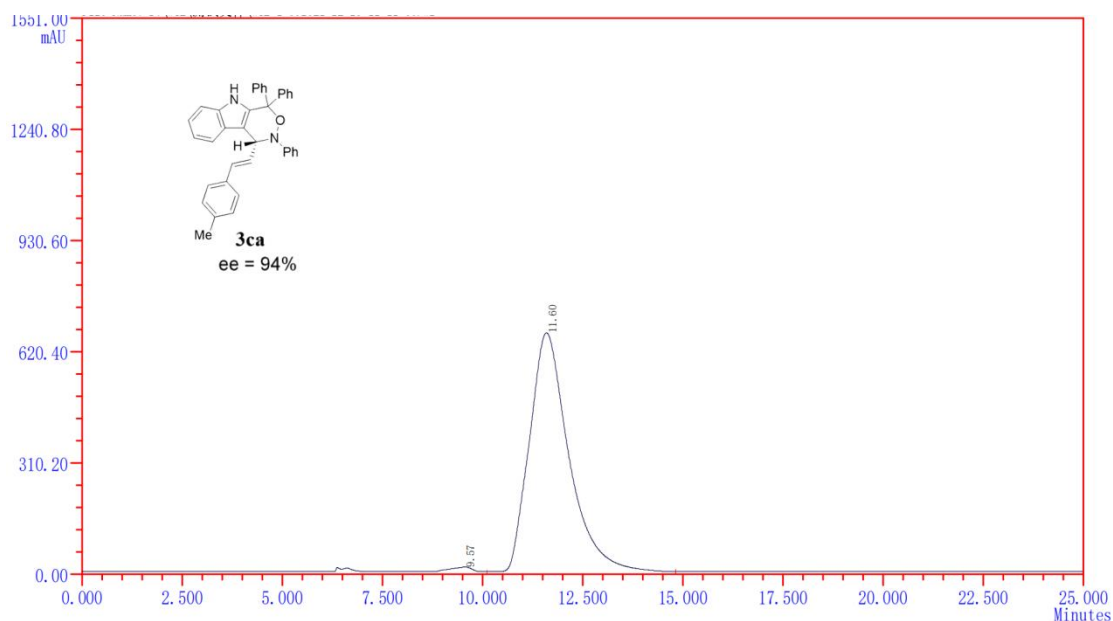
3ca

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.515	522.27	29993.605	49.209
2	11.832	375.17	30958.364	50.791

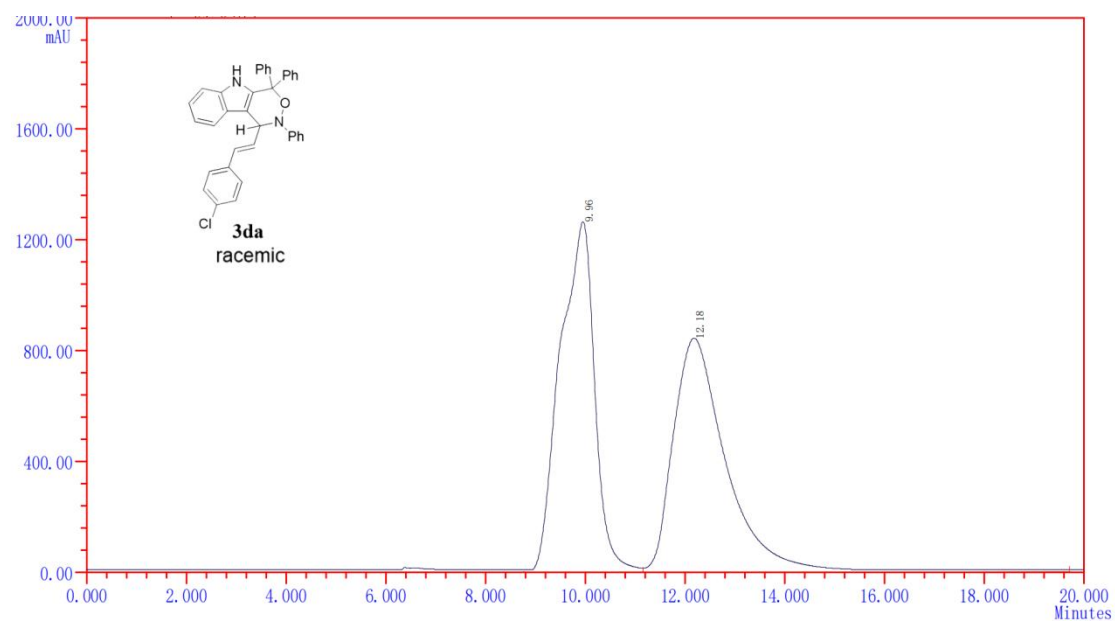
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.565	25.01	1585.167	3.184
2	11.599	676.76	48198.279	96.816

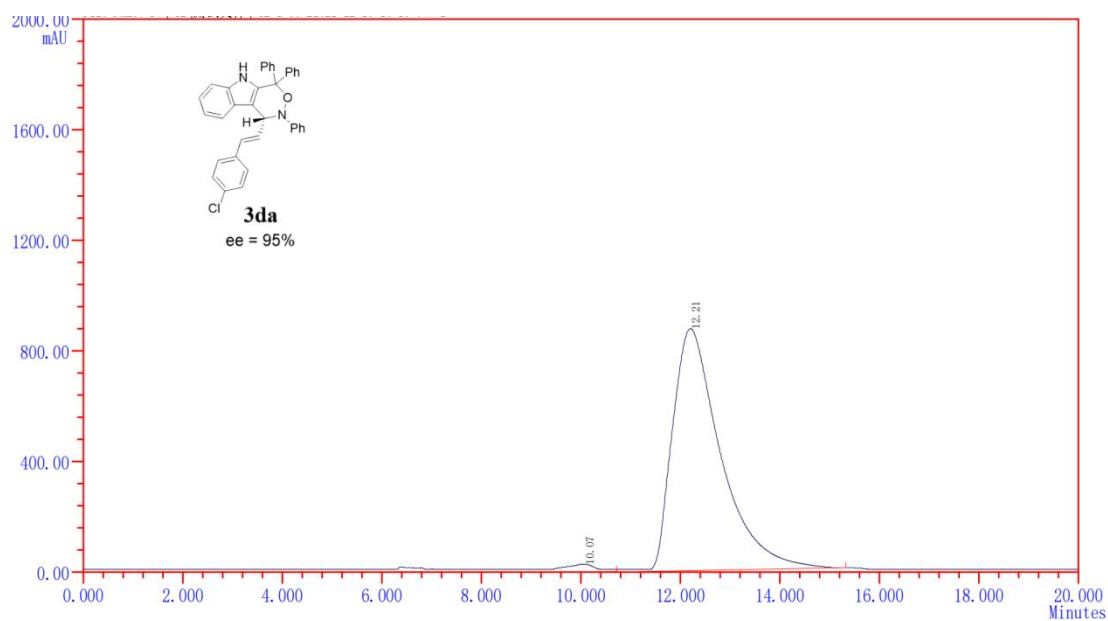
3da

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.957	1267.40	61567.560	49.336
2	12.181	846.55	63224.327	50.664

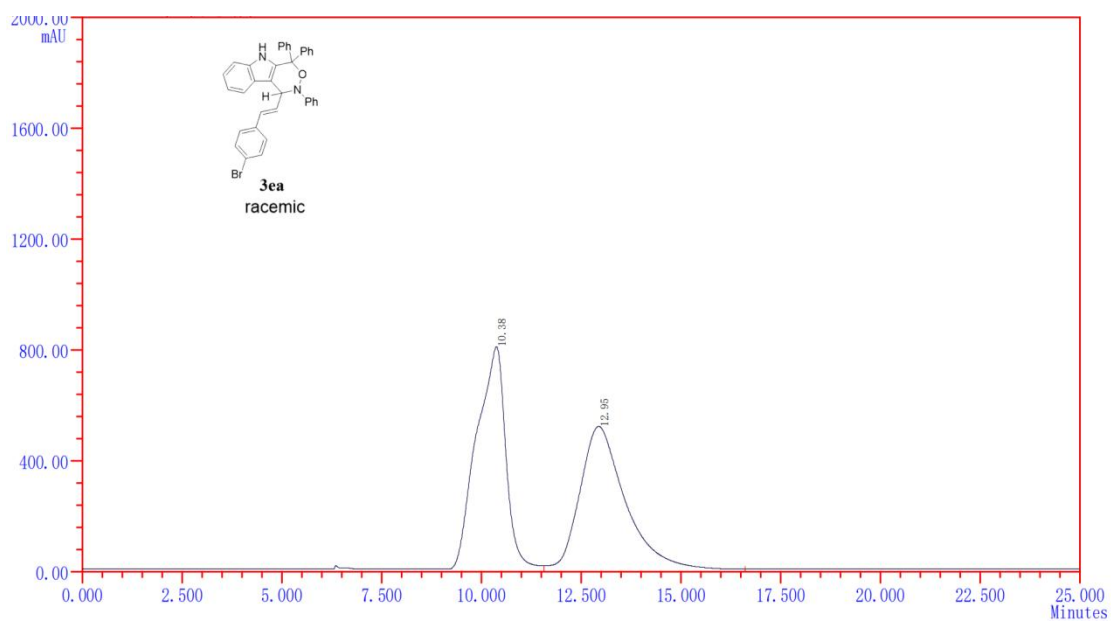
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	10.073	28.15	1377.528	2.301
2	12.207	874.82	58481.683	97.699

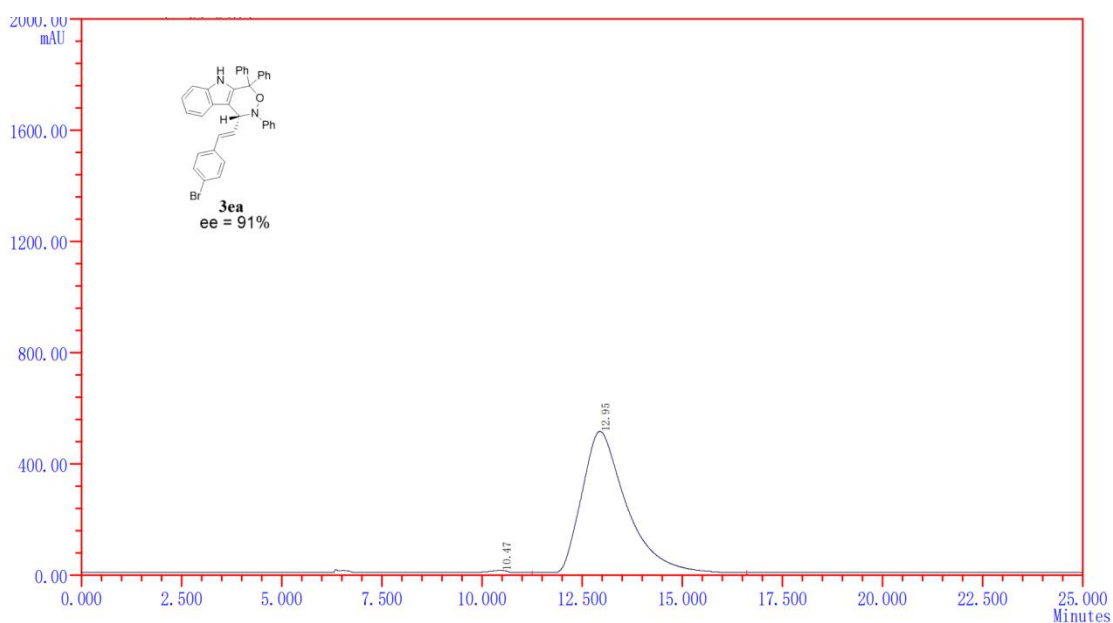
3ea

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	10.382	814.91	42997.849	49.642
2	12.949	526.13	43617.641	50.358

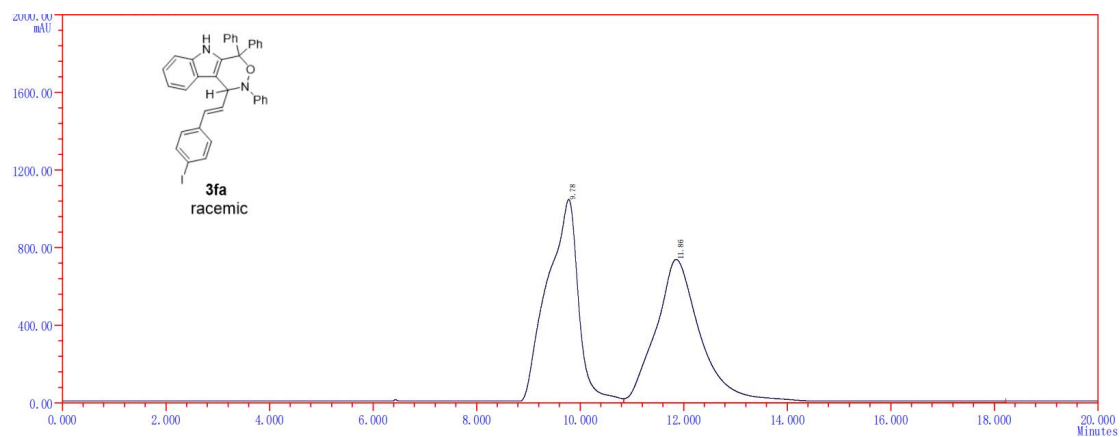
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	10.473	22.78	2023.804	4.515
2	12.949	521.01	42798.006	95.485

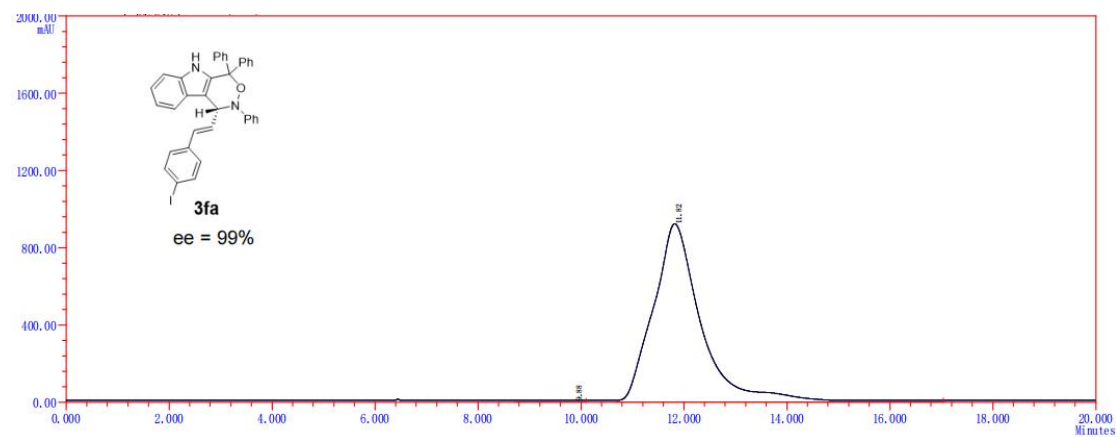
3fa

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.780	1049.24	44490.927	49.500
2	11.858	739.84	45390.068	50.500

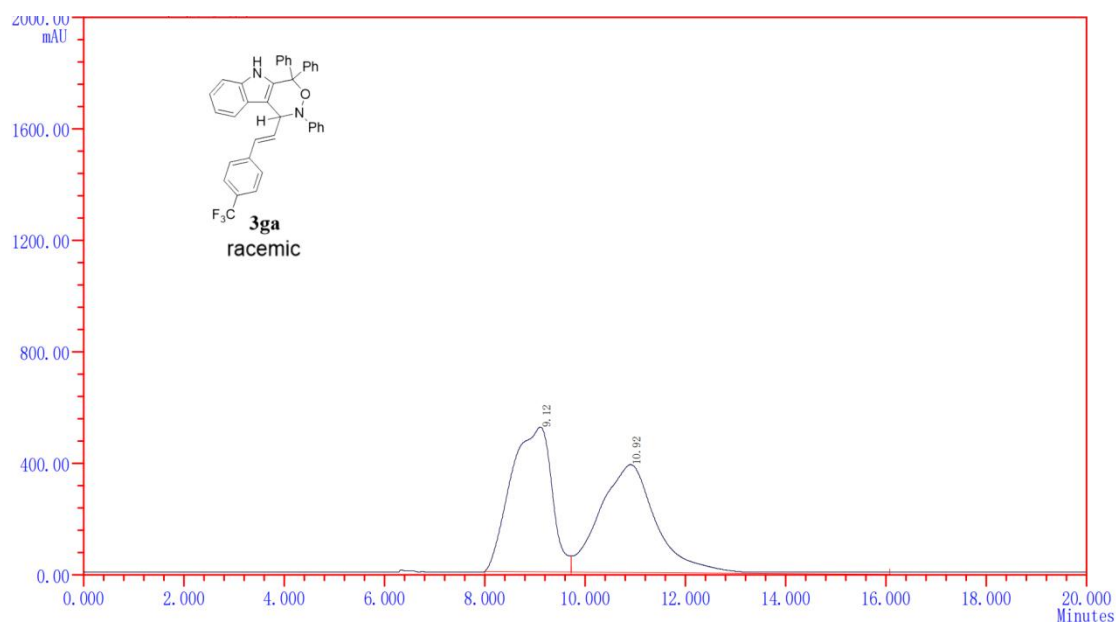
Enantioselective:



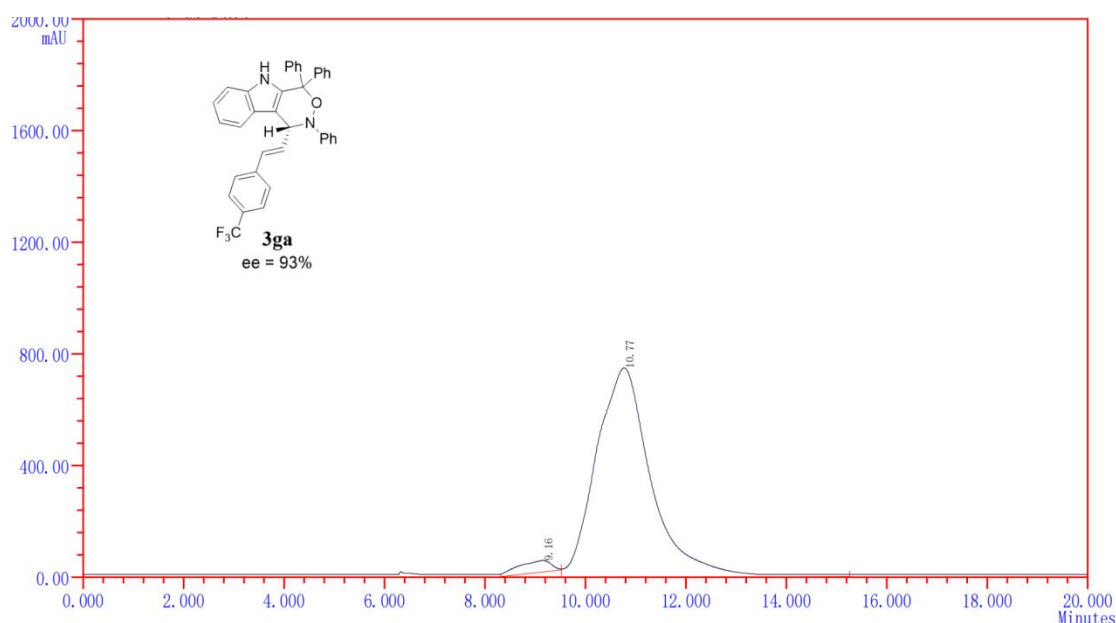
Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.885	8.01	406.063	0.679
2	11.823	922.86	59390.660	99.321

3ga

Racemic:

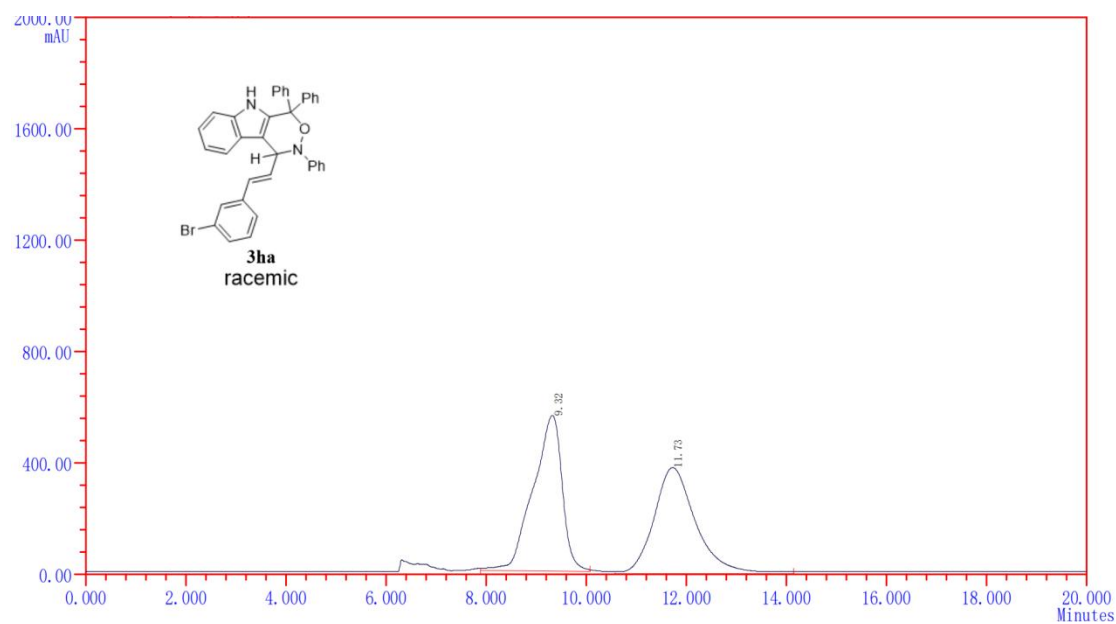


Enantioselective:

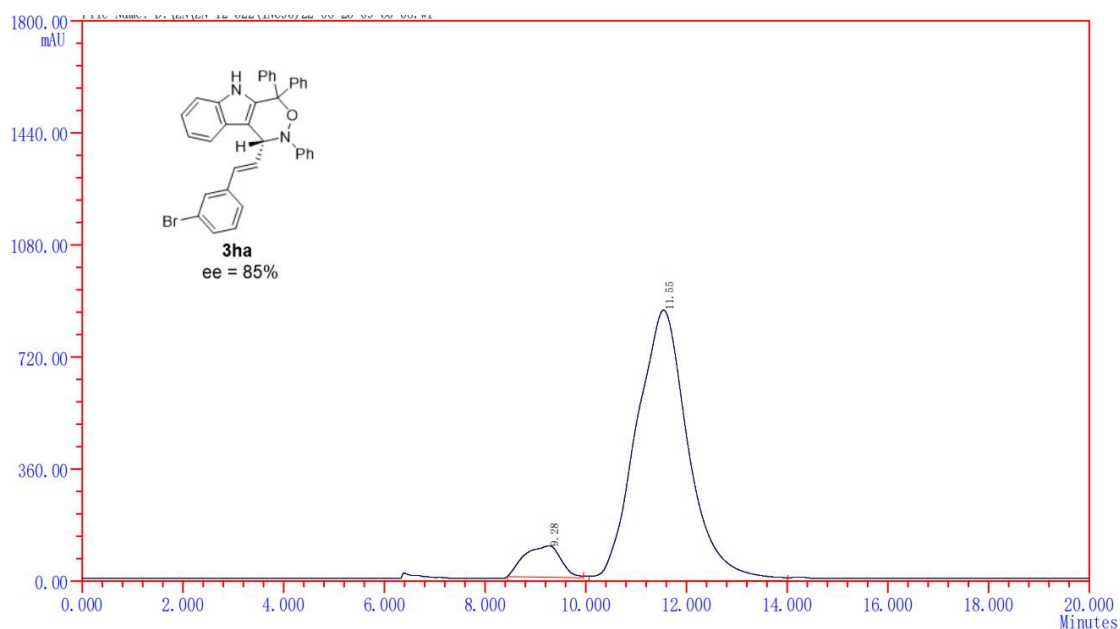


3ha

Racemic:

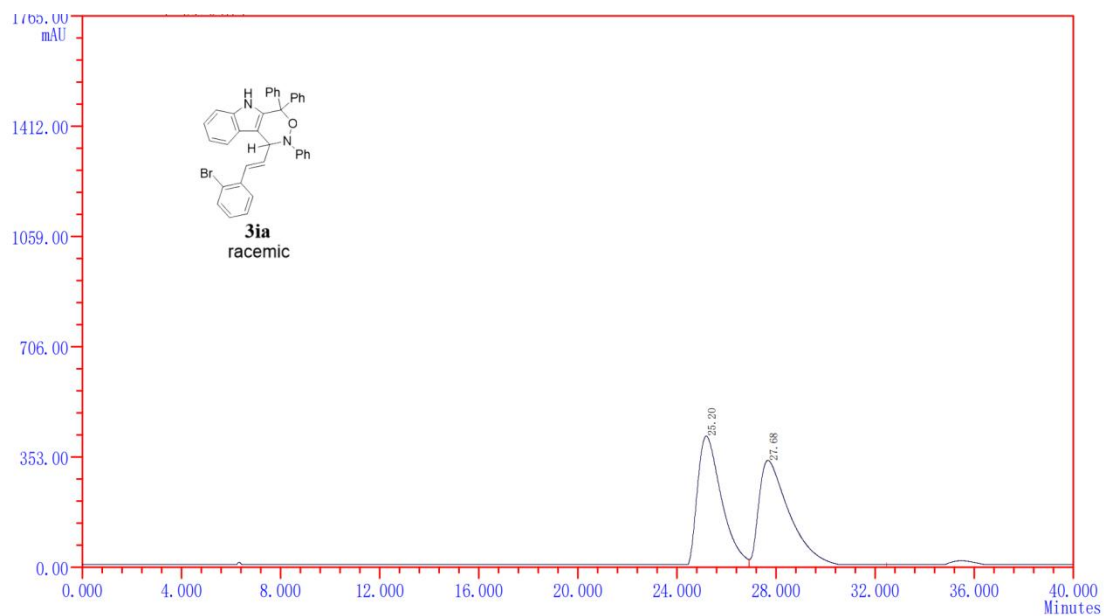


Enantioselective:



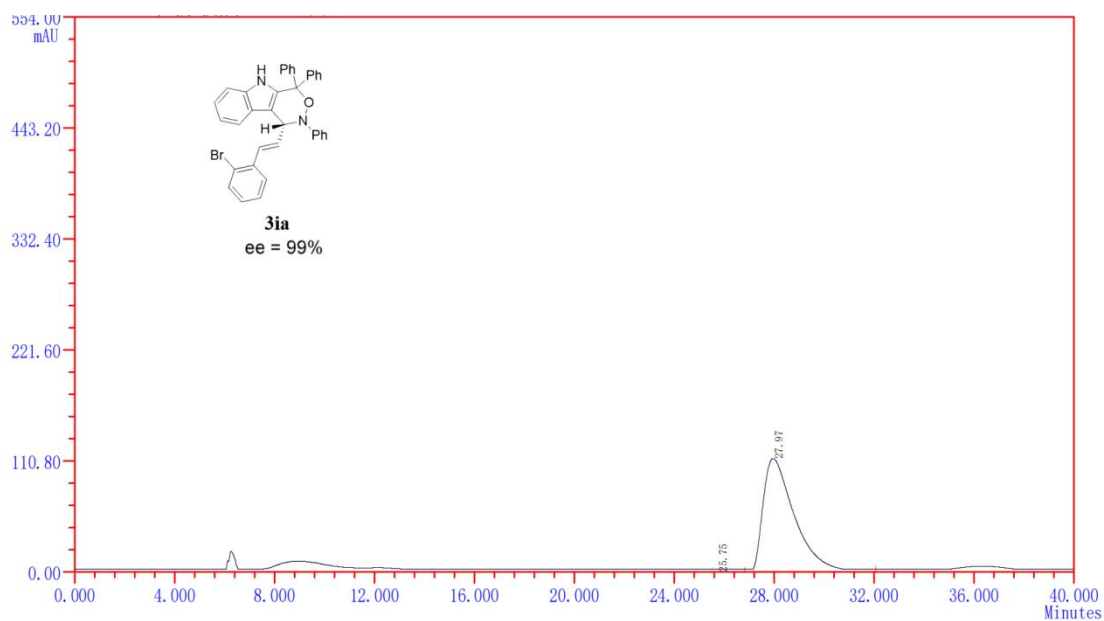
3ia

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	25.198	424.77	29418.755	48.620
2	27.682	346.15	31088.490	51.380

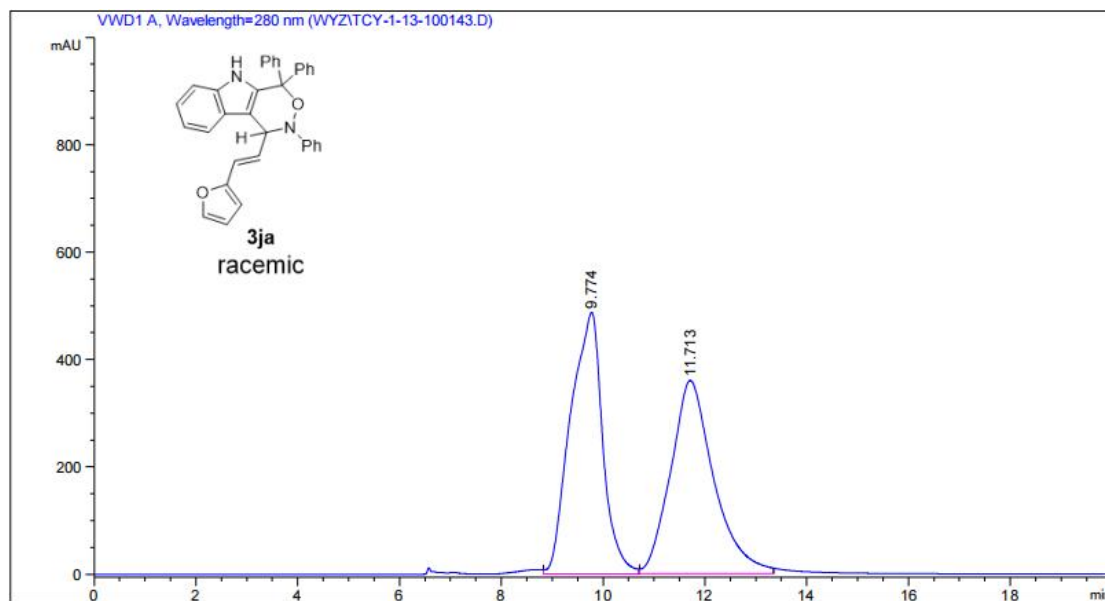
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	25.748	0.97	60.713	0.599
2	27.974	114.34	10076.765	99.401

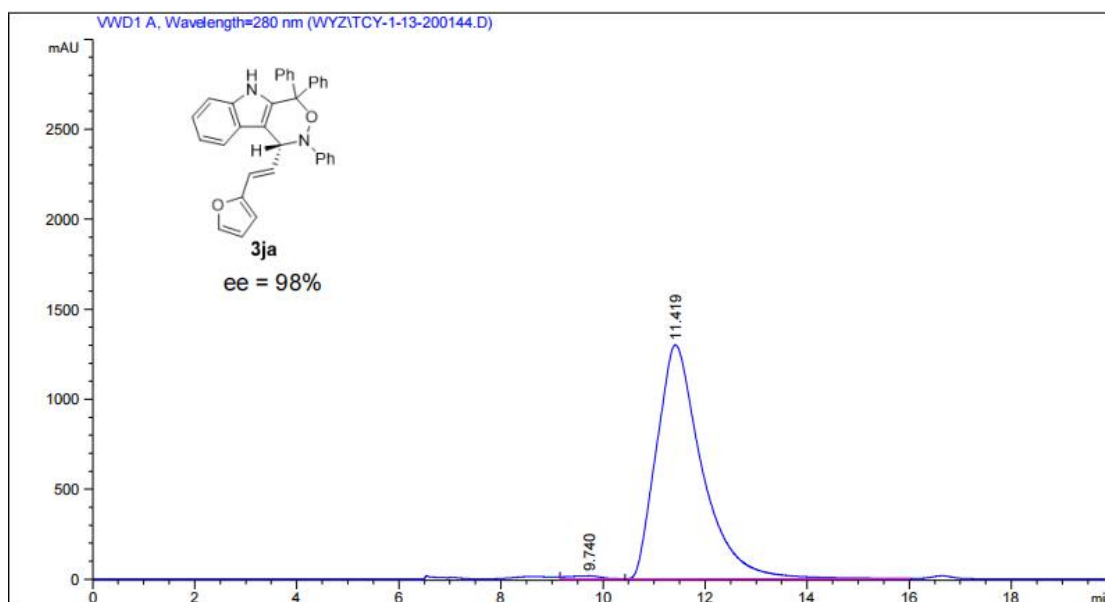
3ja

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.774	487.44858	2.14465e4	50.4287
2	11.713	359.13043	2.10818e4	49.5713

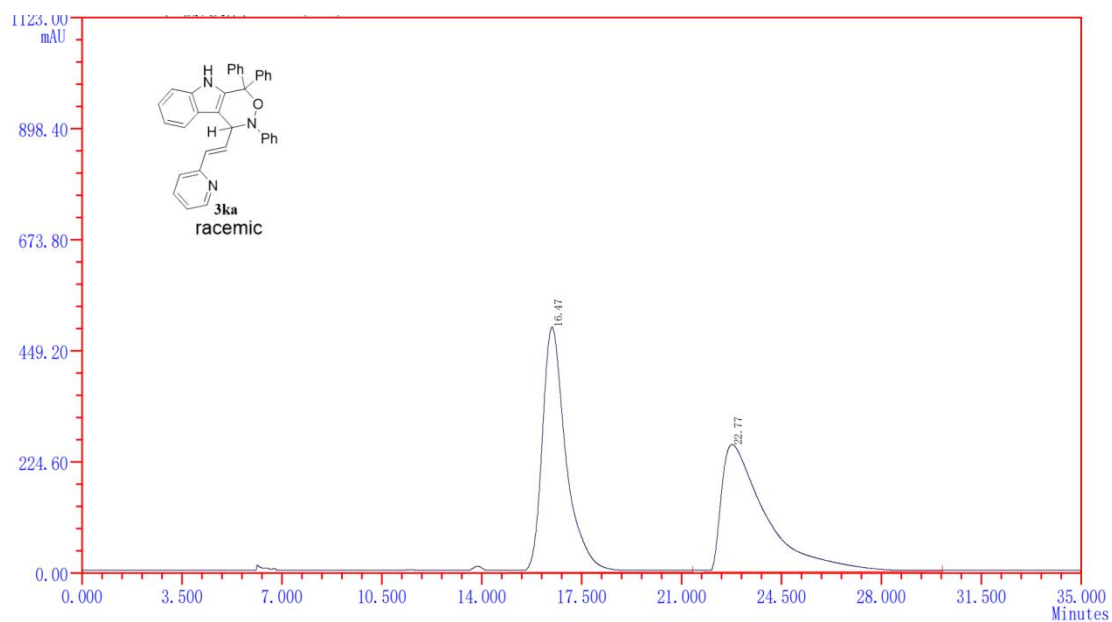
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.740	16.25608	717.45532	0.8898
2	11.419	1299.65405	7.9914.6	99.1102

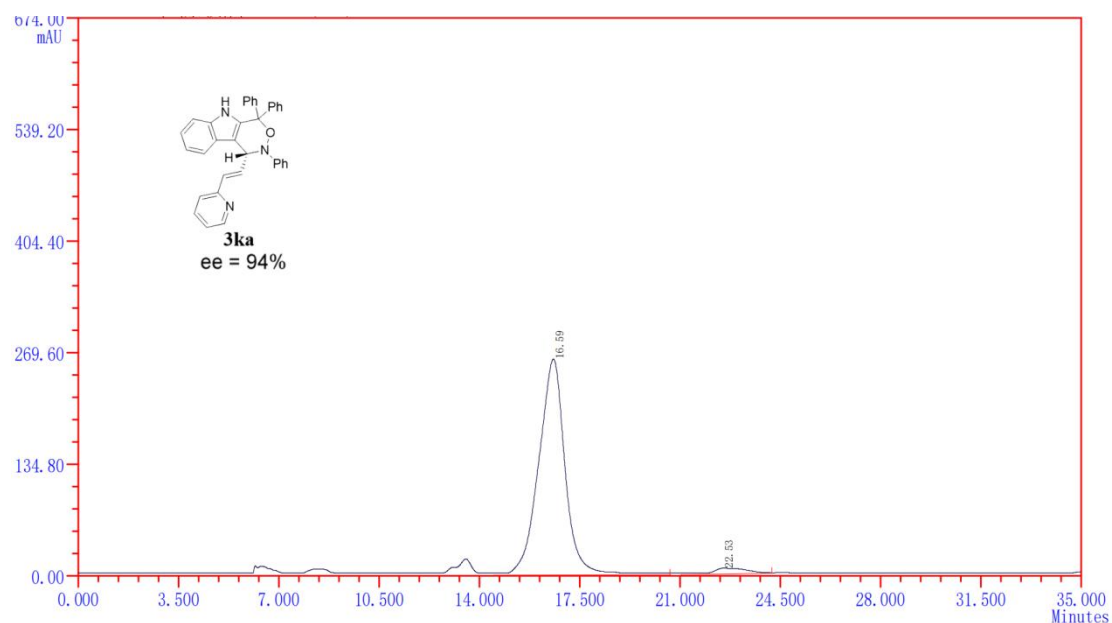
3ka

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	16.473	495.67	28951.460	50.567
2	22.773	257.93	28302.745	49.433

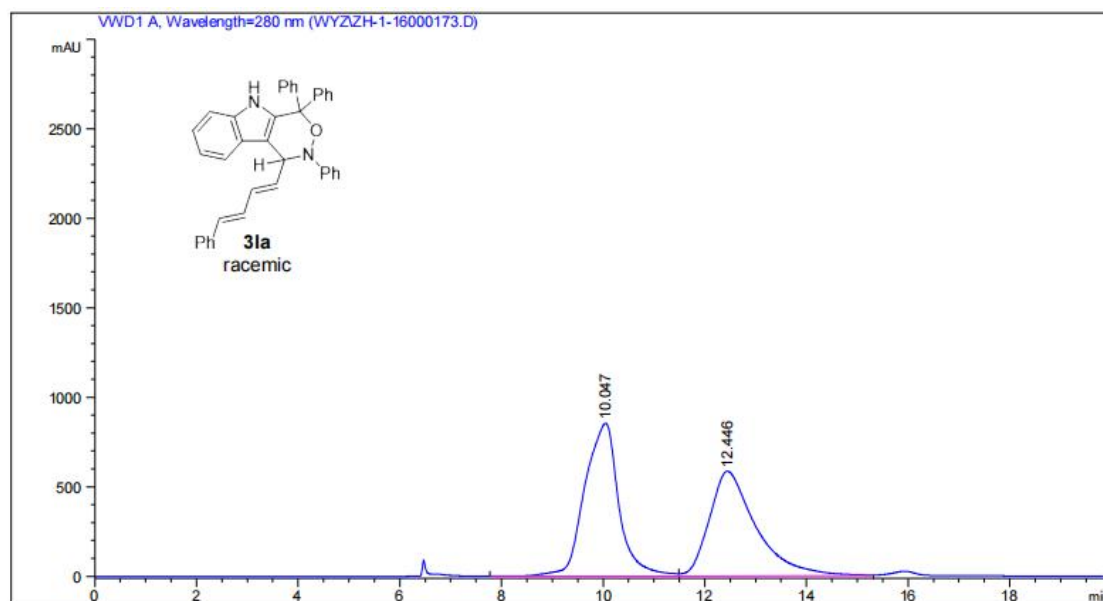
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	16.590	261.00	16741.302	97.073
2	22.532	6.81	504.766	2.927

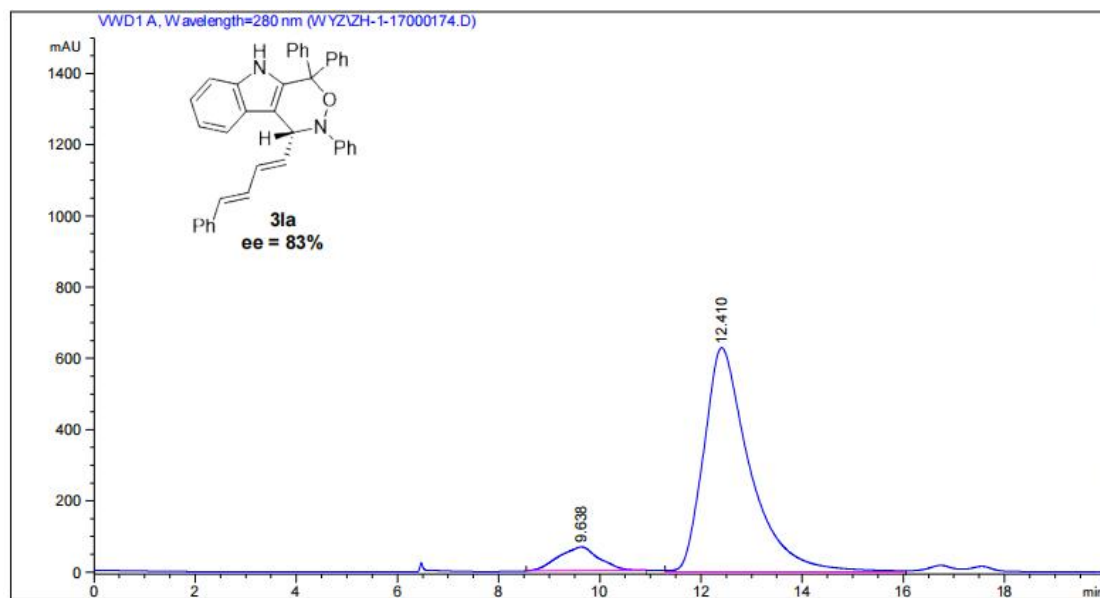
3la

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	10.047	852.88513	3.97885e4	51.6347
2	12.446	584.79797	3.72691e4	48.3653

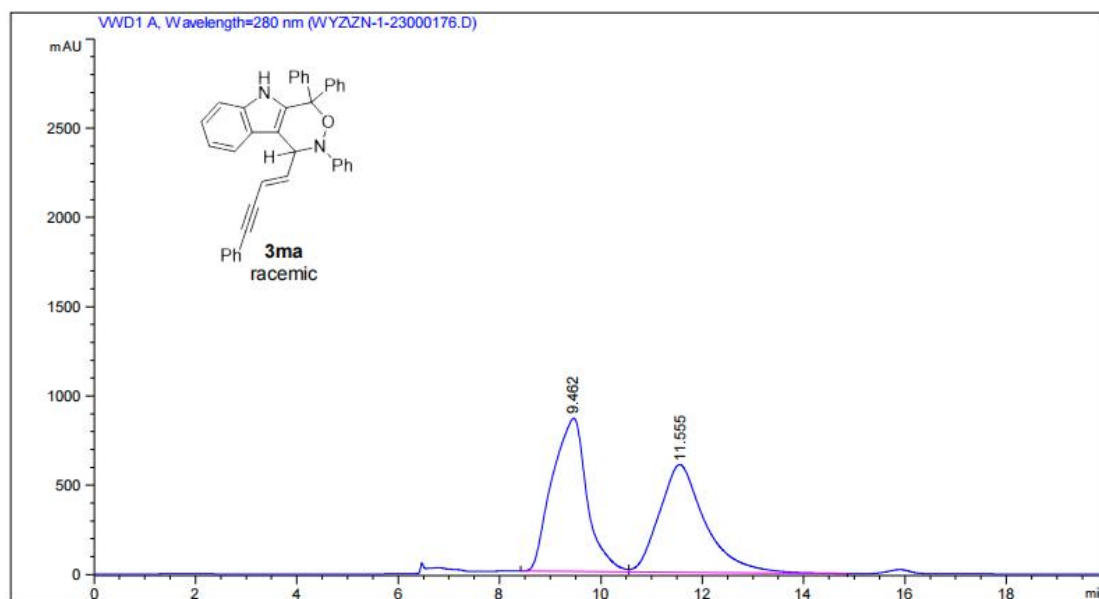
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.638	66.48389	3689.23096	8.5355
2	12.410	628.43896	3.95327e4	91.4645

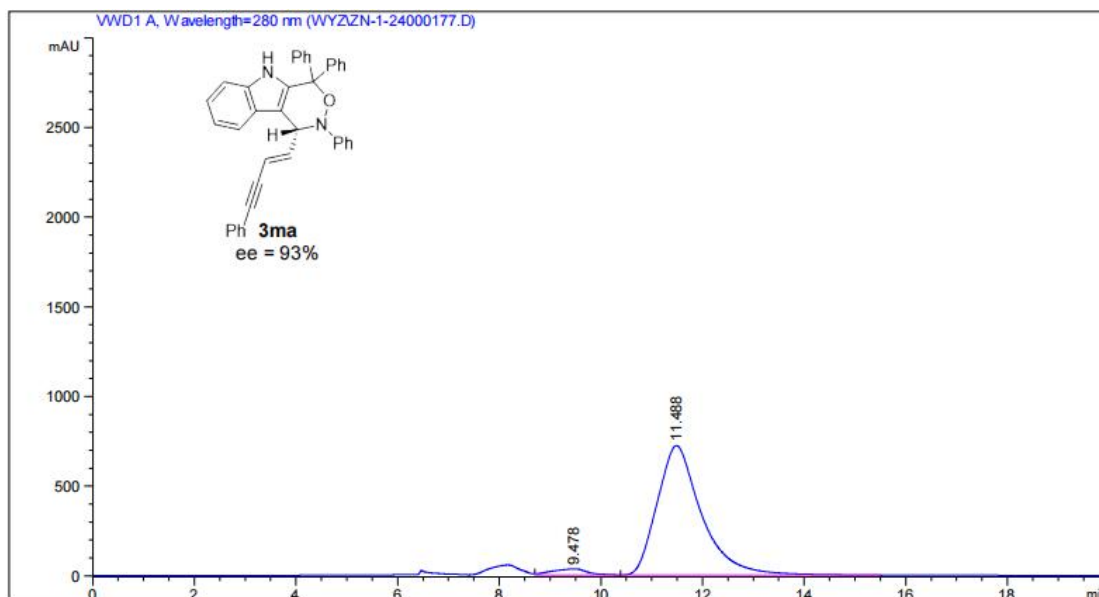
3ma

Racemic:



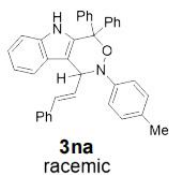
Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.462	858.43463	4.10701e4	52.1178
2	11.555	603.38251	3.77324e4	47.8822

Enantioselective:



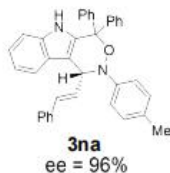
Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.478	33.99052	1600.66650	3.5359
2	11.488	721.48413	4.36684e4	96.4641

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.732	369.42	19012.679	49.697
2	12.840	239.31	19244.595	50.303

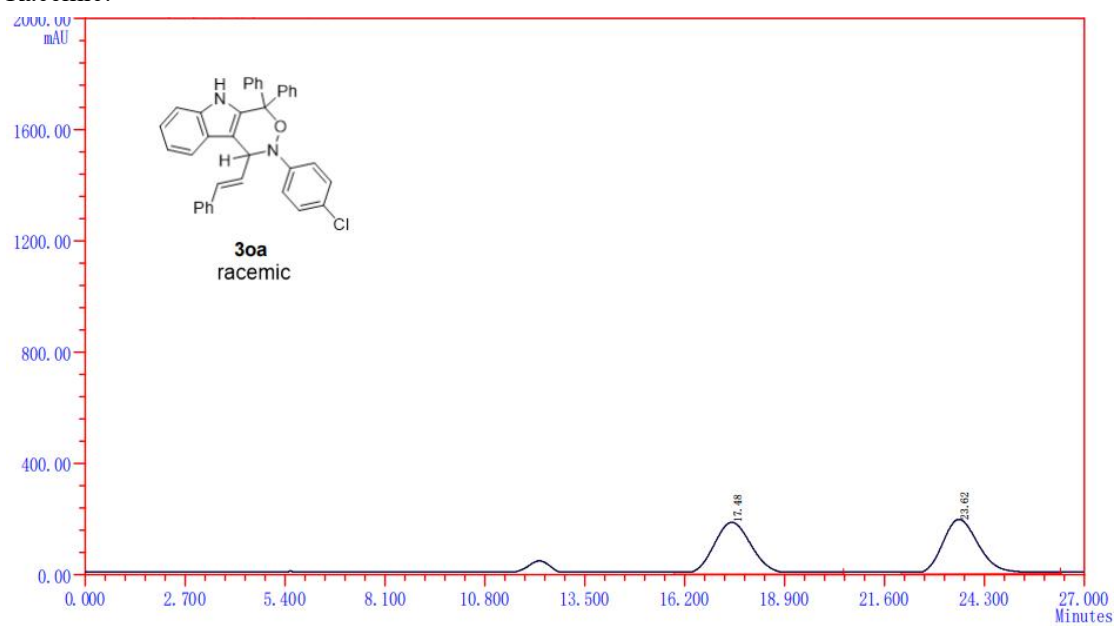
1615.00
mAU



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.848	17.77	1077.048	2.071
2	12.523	608.15	50929.106	97.929

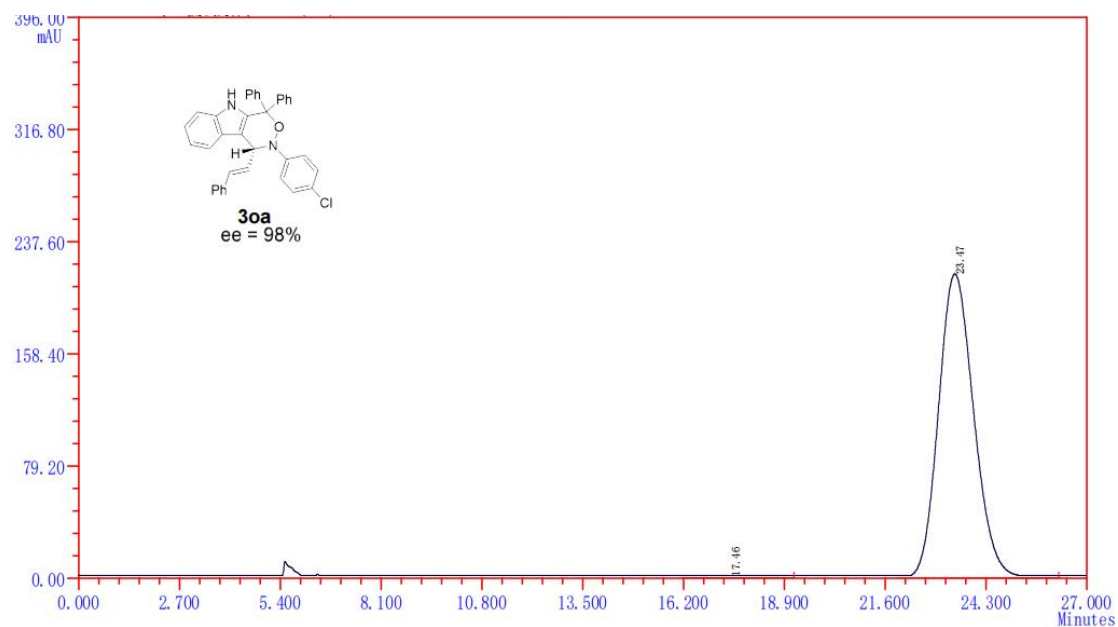
3oa

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	17.482	186.64	13253.769	49.575
2	23.623	196.13	13481.115	50.425

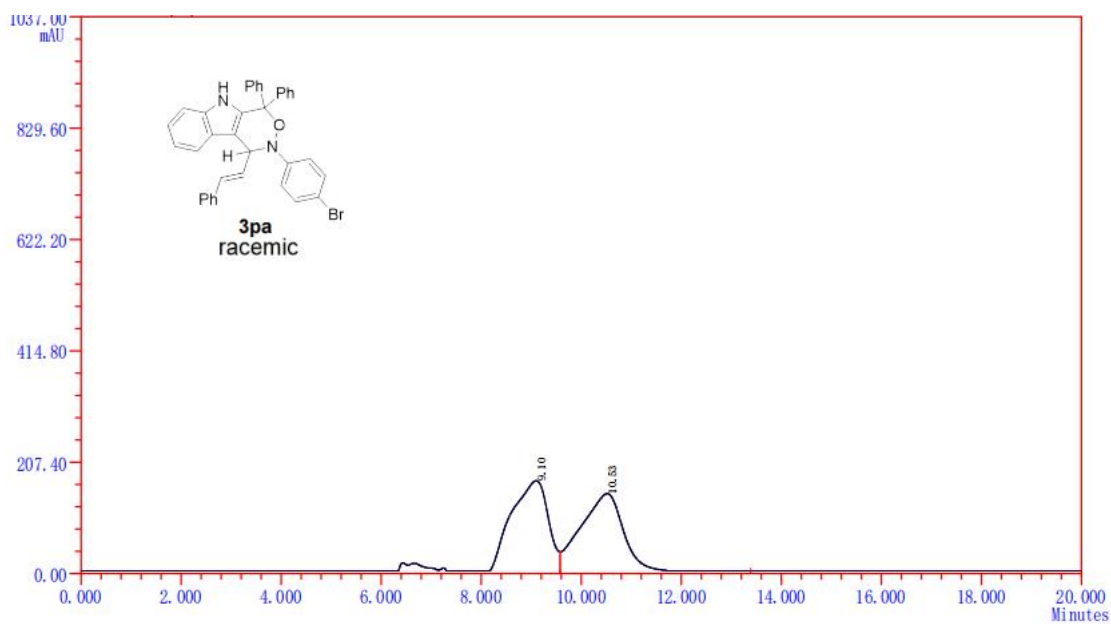
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	17.465	1.62	147.542	1.038
2	23.473	214.56	14061.460	98.962

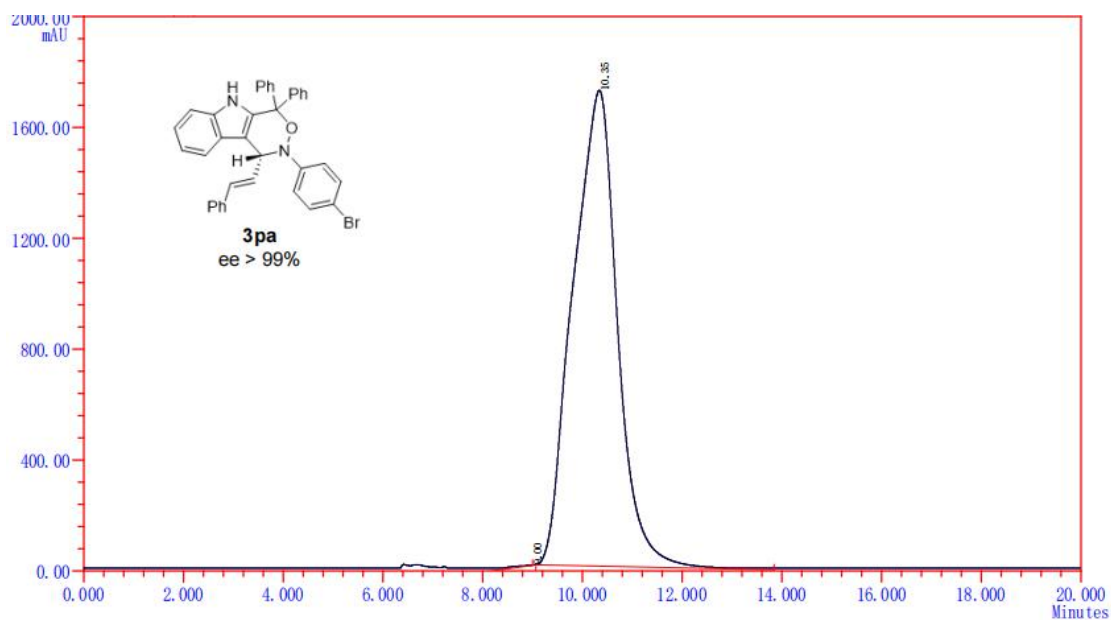
3pa

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.105	176.83	9340.391	48.757
2	10.525	152.25	9816.474	51.243

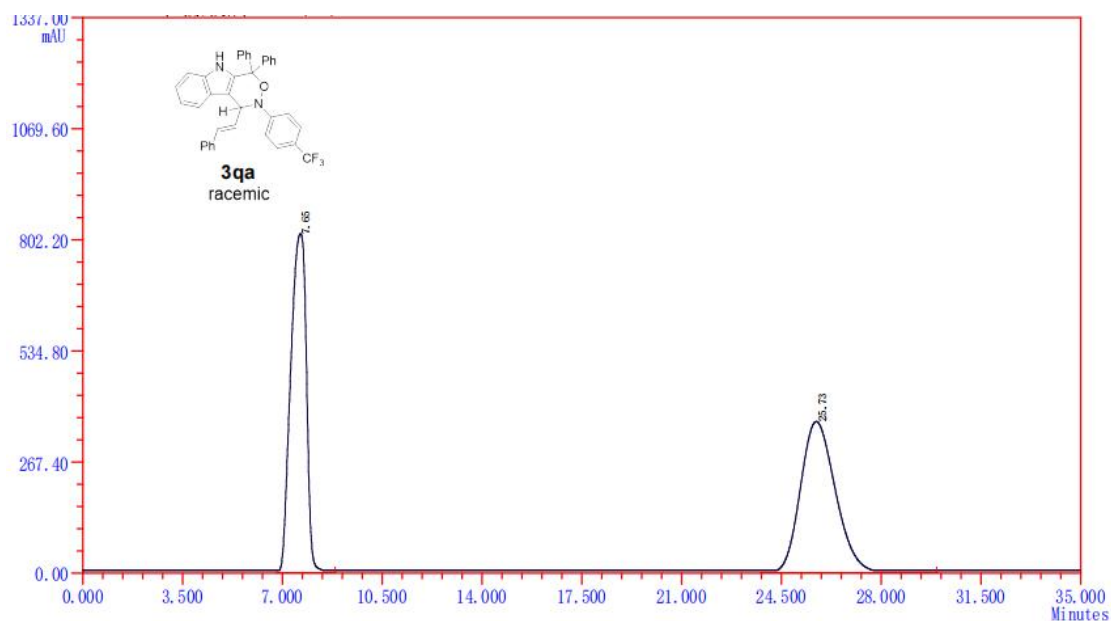
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.003	0.65	142.868	0.135
2	10.345	1716.05	105923.608	99.865

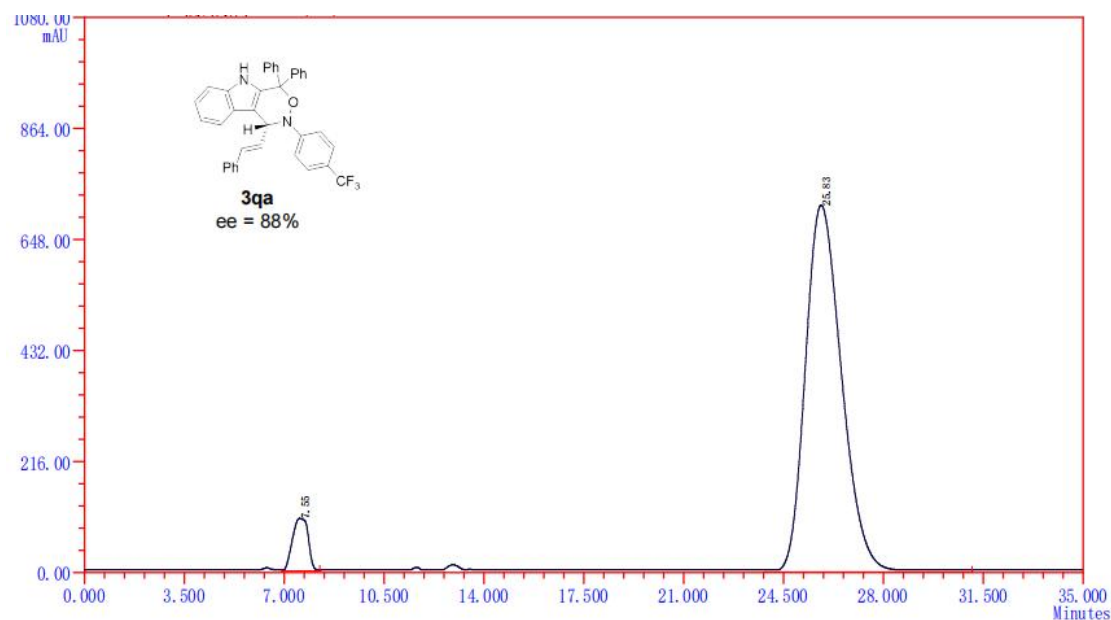
3qa

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	7.648	815.49	31194.828	50.003
2	25.731	364.19	31191.706	49.997

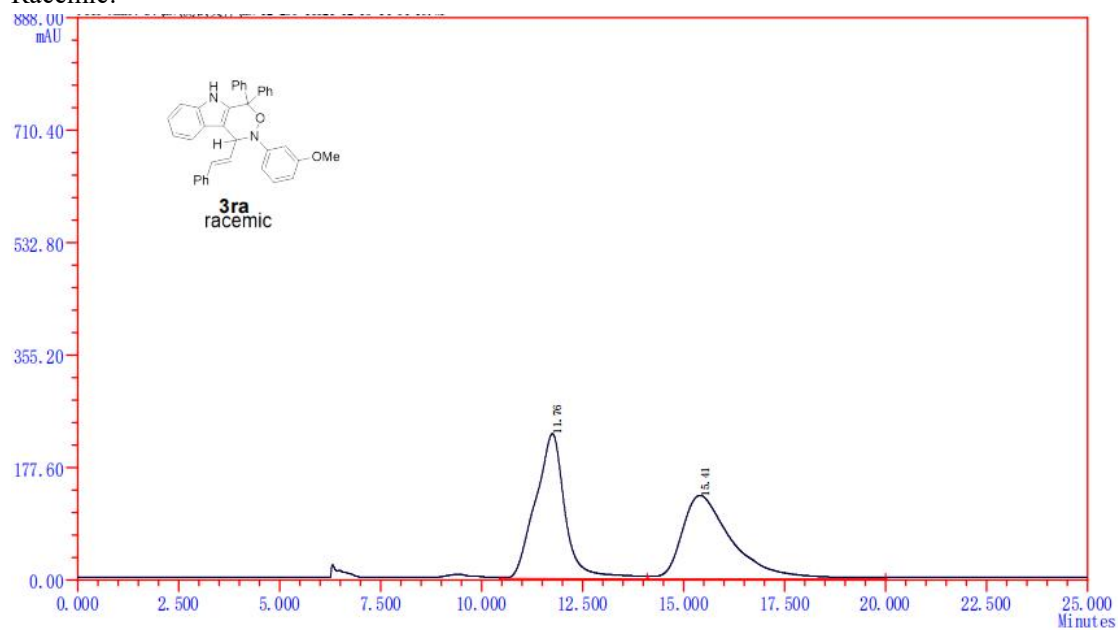
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	7.548	101.82	3881.218	5.803
2	25.831	713.89	63000.155	94.197

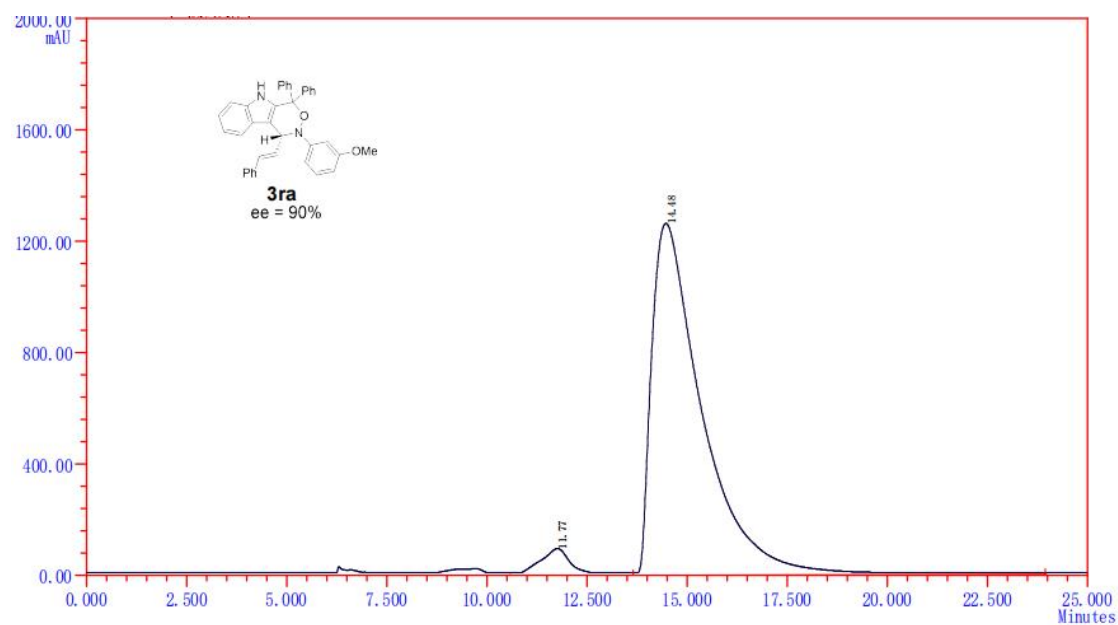
3ra

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.757	229.11	11735.786	50.923
2	15.407	131.55	11310.147	49.077

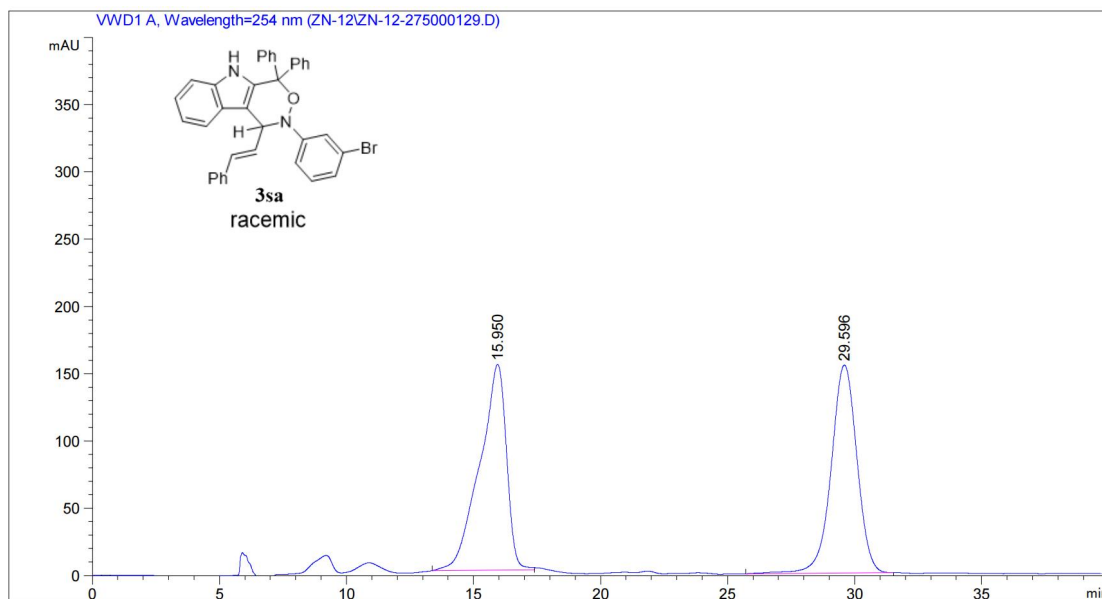
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.773	97.57	5640.959	4.835
2	14.482	1264.51	111021.258	95.165

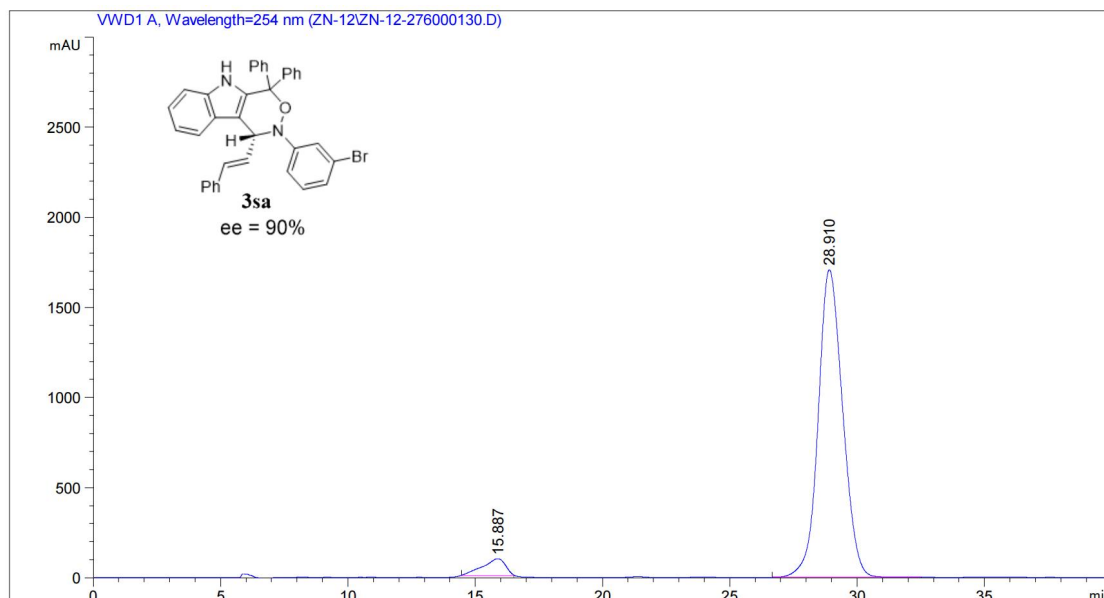
3sa

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	15.950	152.88	11230.10	50.710
2	29.596	154.57	10915.50	49.290

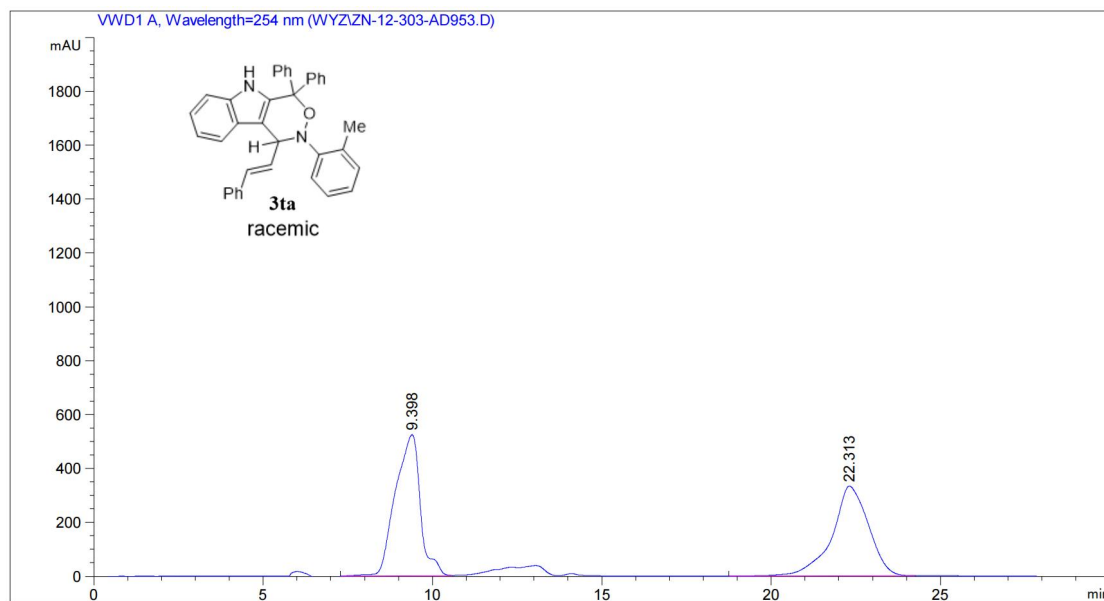
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	15.887	94.11	5884.24	4.916
2	28.910	1706.50	113822.00	95.084

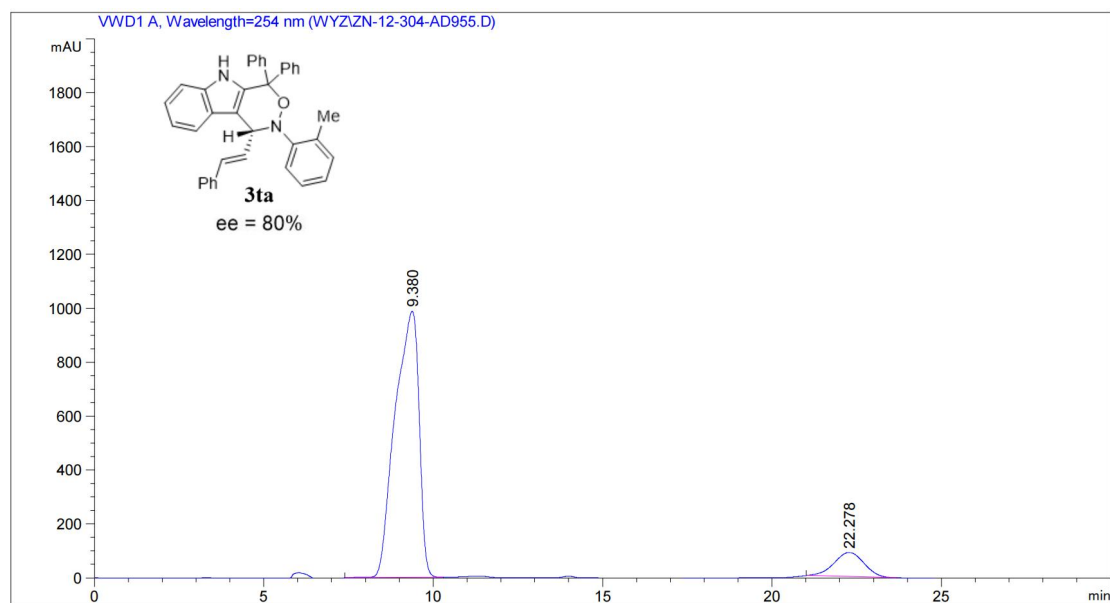
3ta

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.398	522.90	26808.300	51.915
2	22.313	333.03	24830.400	48.085

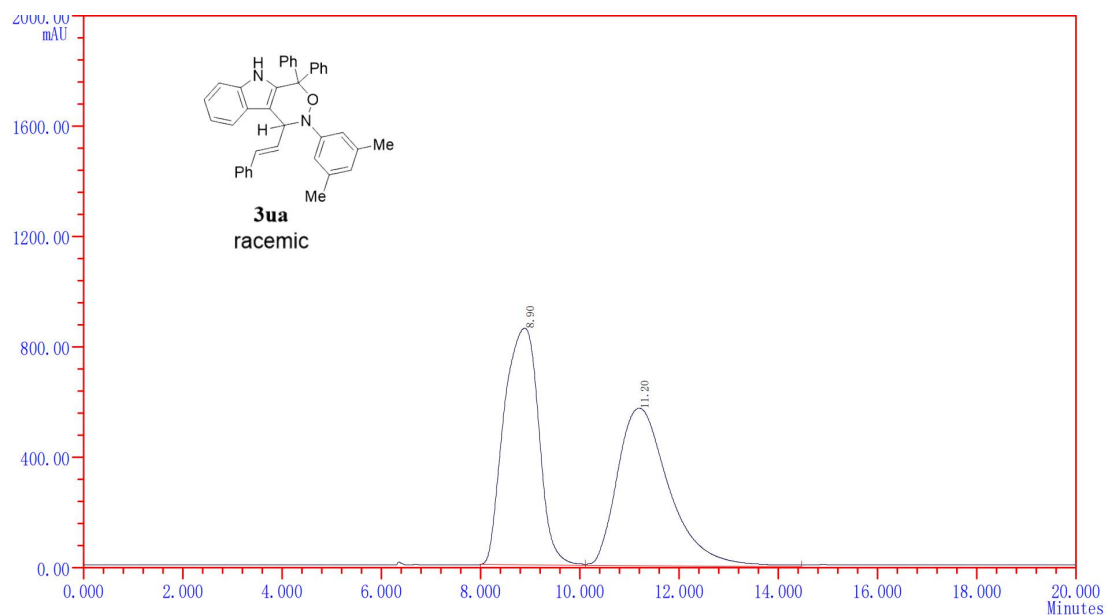
Enantioselective:



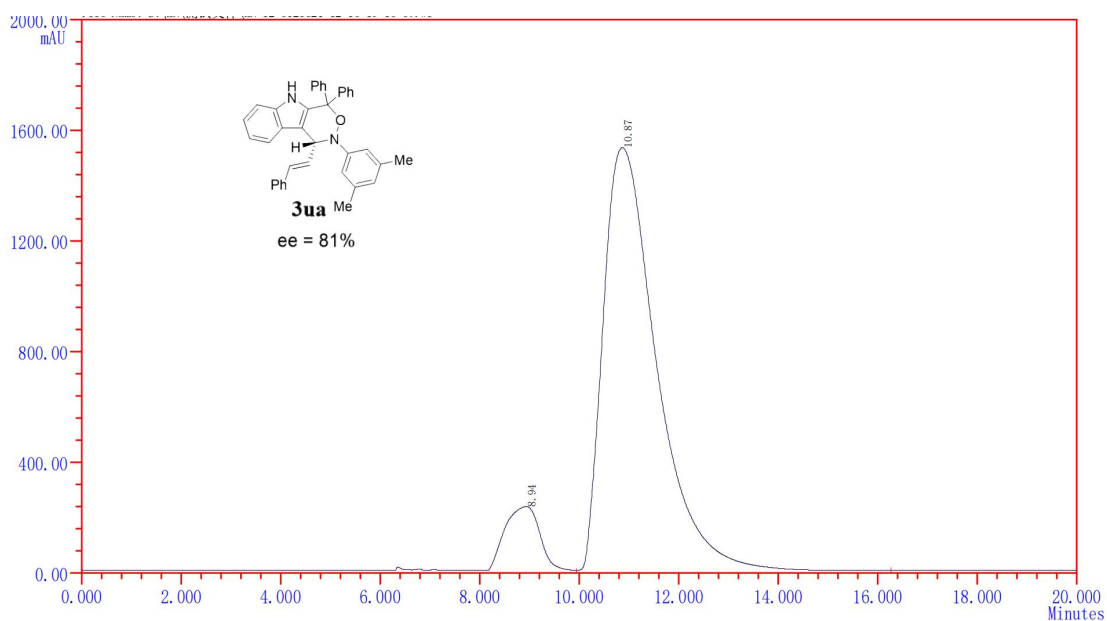
Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	9.380	987.57	48502.700	89.794
2	22.278	89.74	5512.936	10.206

3ua

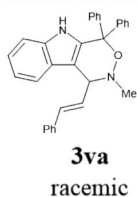
Racemic:



Enantioselective:



Racemic:



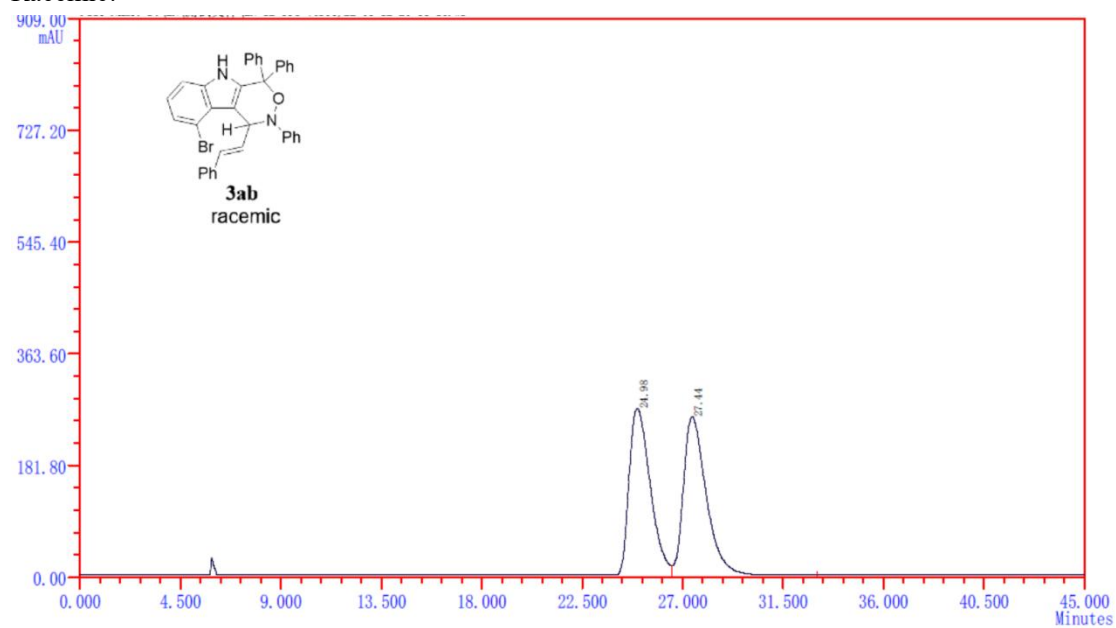
Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.243	1271.15	56556.218	49.231
2	13.460	1069.46	58322.587	50.769

Chromatogram showing the separation of compound **3va**. The x-axis represents time in minutes (0.000 to 20.000), and the y-axis represents intensity (0.00 to 2000.00). Two peaks are observed: a small peak at 11.36 minutes and a large peak at 13.65 minutes. The chemical structure of **3va** is shown, featuring a benzimidazole core with a phenyl group, a methyl group, and a phenyl-substituted vinyl group. The enantiomeric excess (ee) is 81%.

Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.263	138.80	5913.744	9.702
2	13.448	1011.62	55039.648	90.298

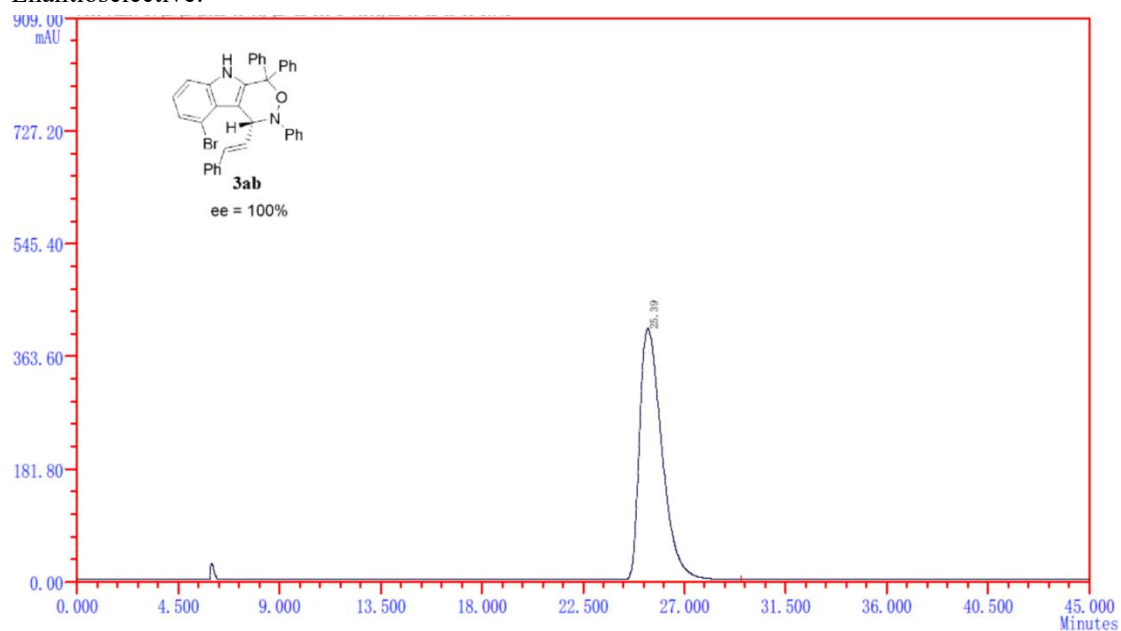
3ab

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	24.982	274.37	18186.643	48.856
2	27.440	260.75	19038.570	51.144

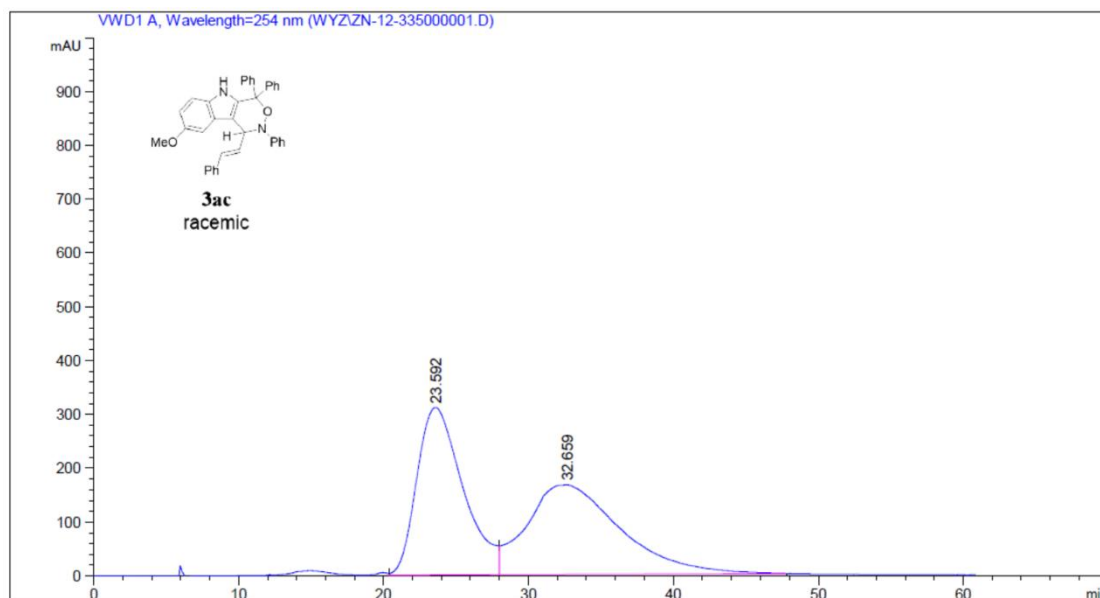
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	25.390	407.49	28619.152	100

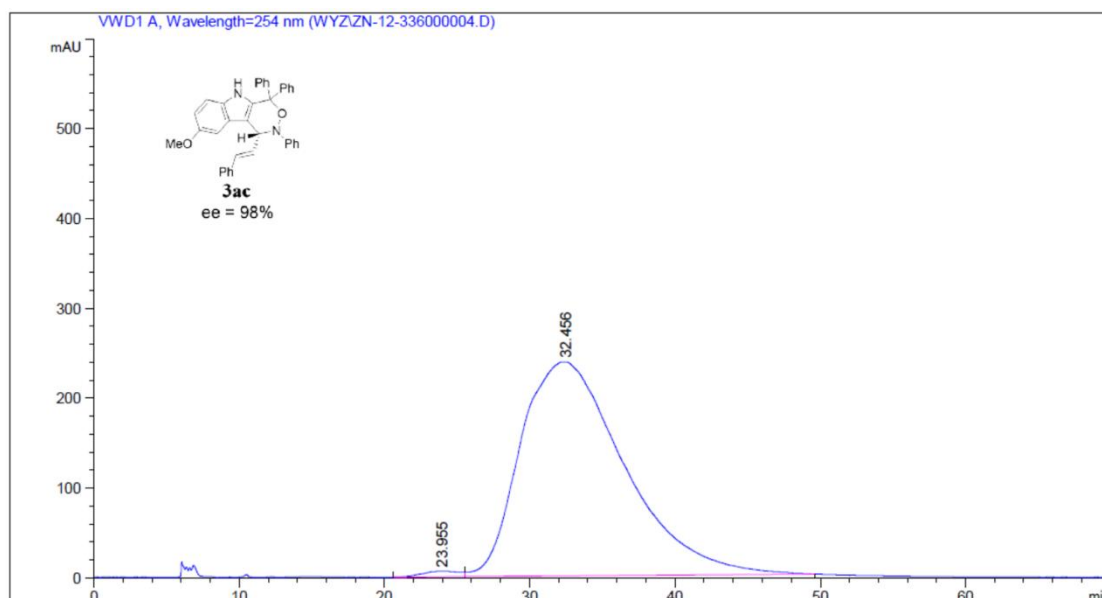
3ac

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	23.592	310.78	68666.600	47.983
2	32.659	166.17	74440.200	52.017

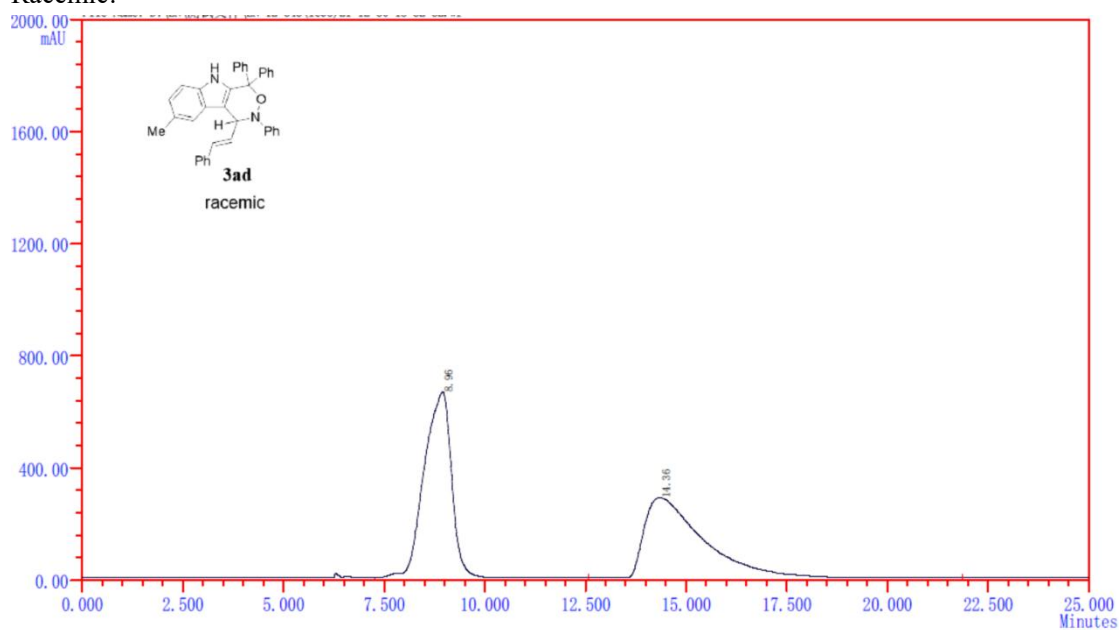
Enantioselective:



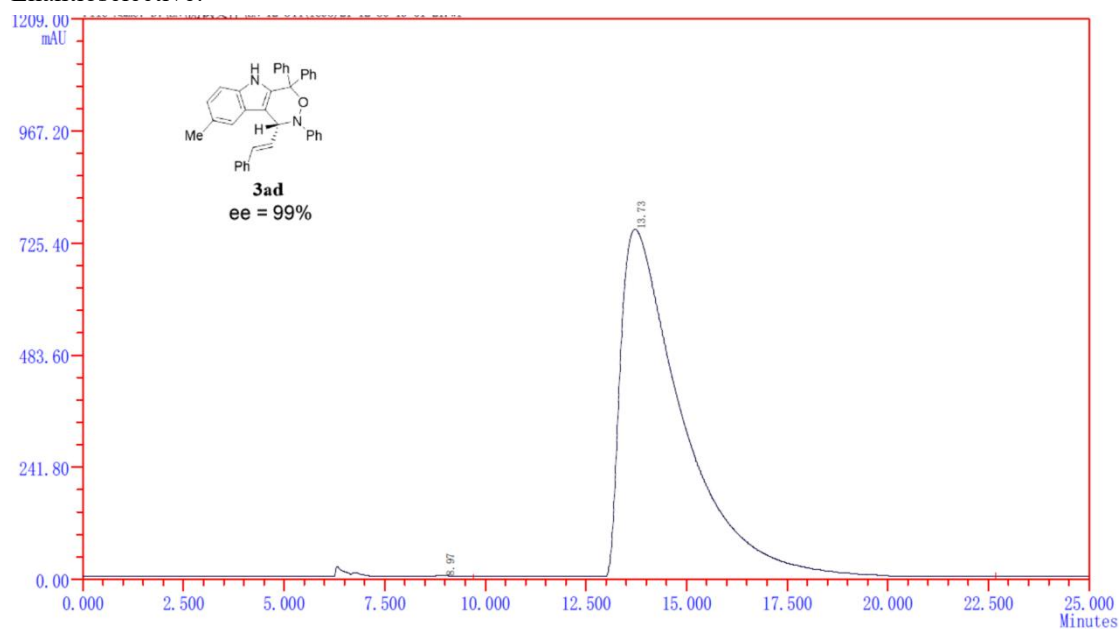
Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	23.955	6.28	1095.415	0.915
2	32.456	238.15	118591.00	99.085

3ad

Racemic:

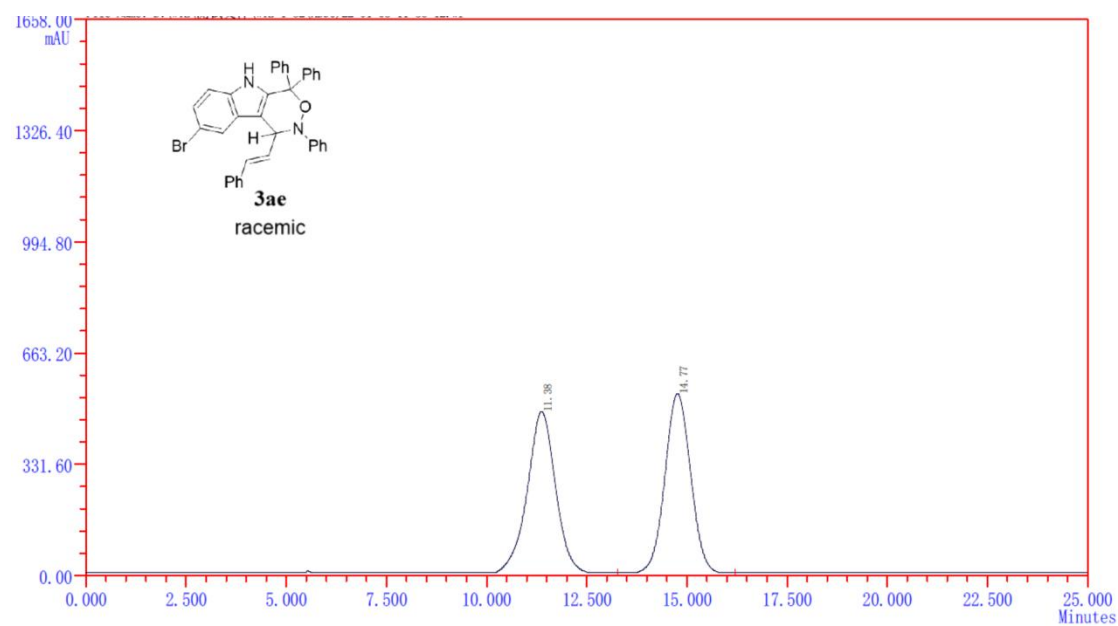


Enantioselective:



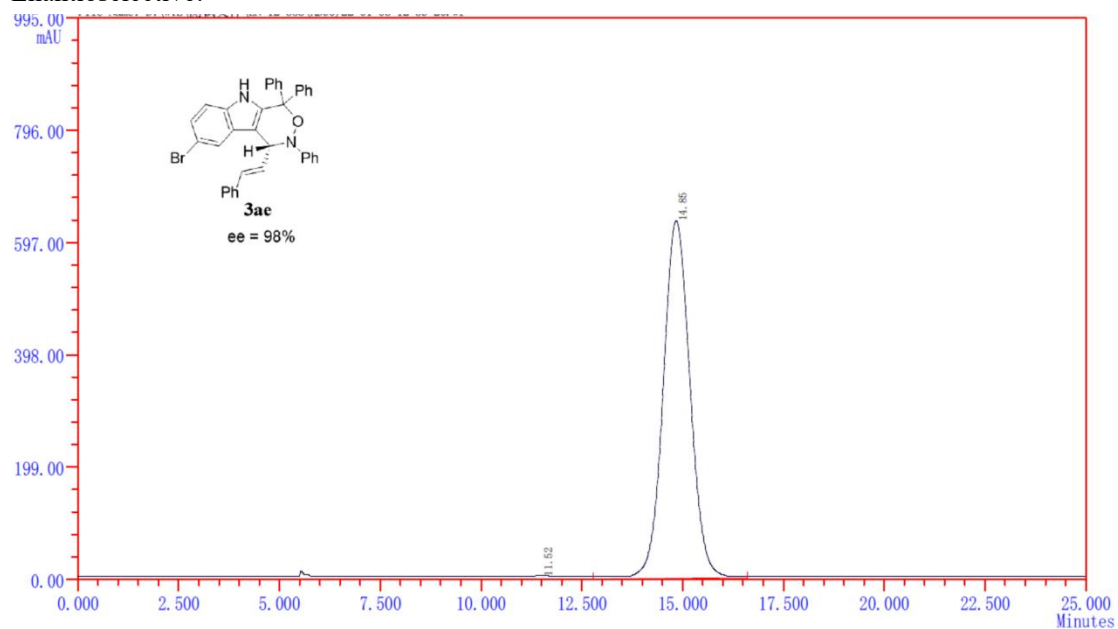
3ae

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.382	487.38	24663.851	49.924
2	14.773	540.36	24738.502	50.076

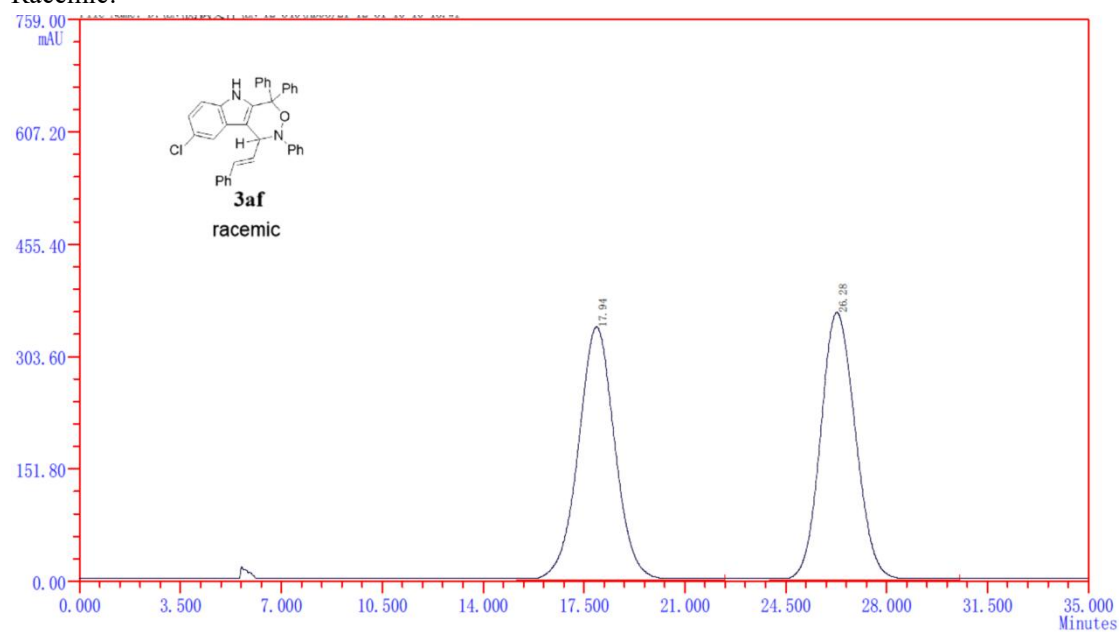
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.523	6.41	354.824	1.199
2	14.848	633.84	29235.673	98.801

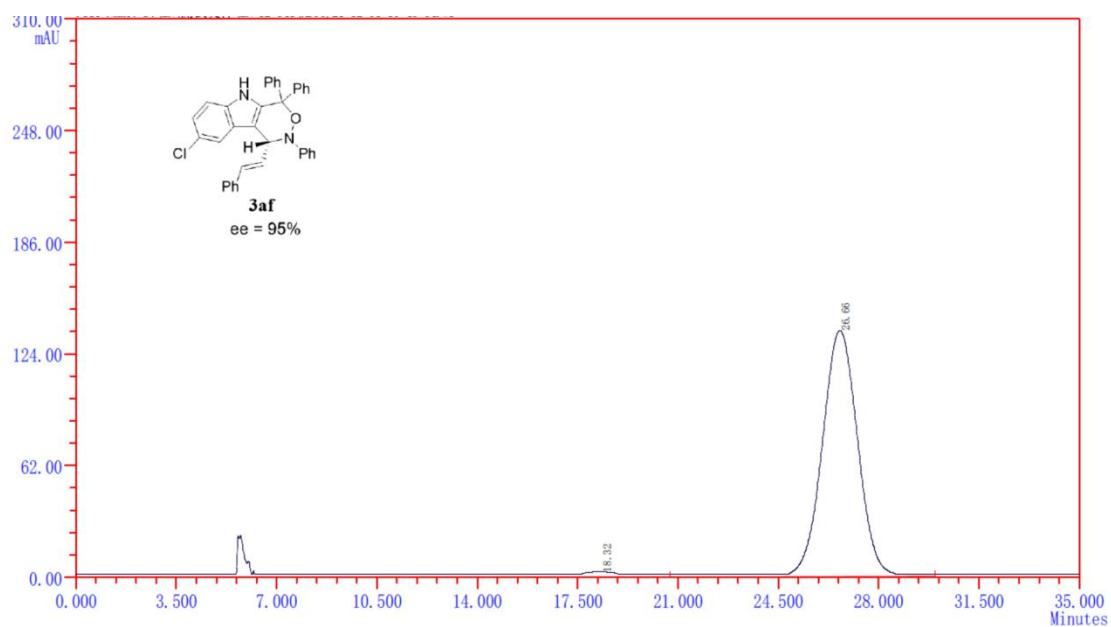
3af

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	17.940	342.23	30282.550	49.809
2	26.282	361.75	30514.600	50.191

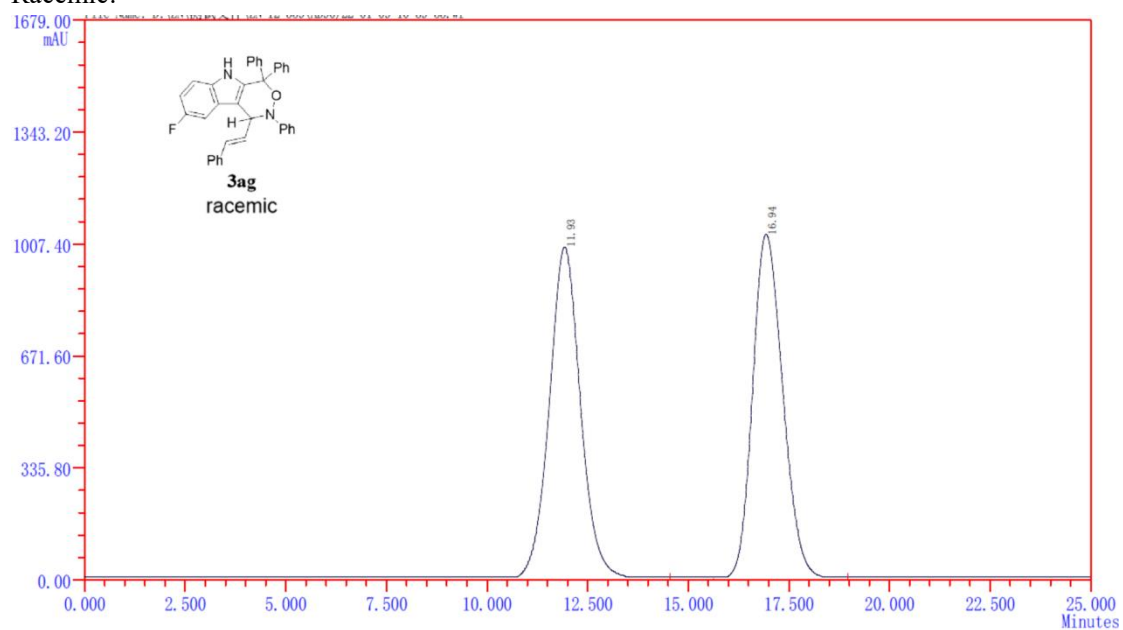
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	18.323	2.92	273.004	2.287
2	26.656	136.55	11665.086	97.713

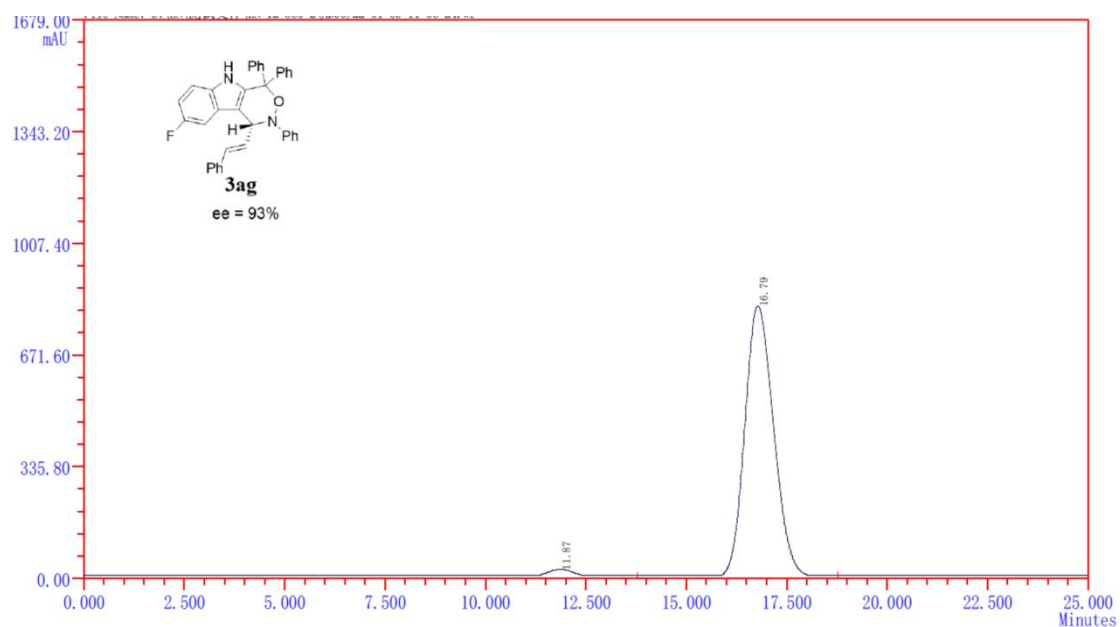
3ag

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.931	999.06	54535.540	50.155
2	16.940	1035.25	54198.263	49.845

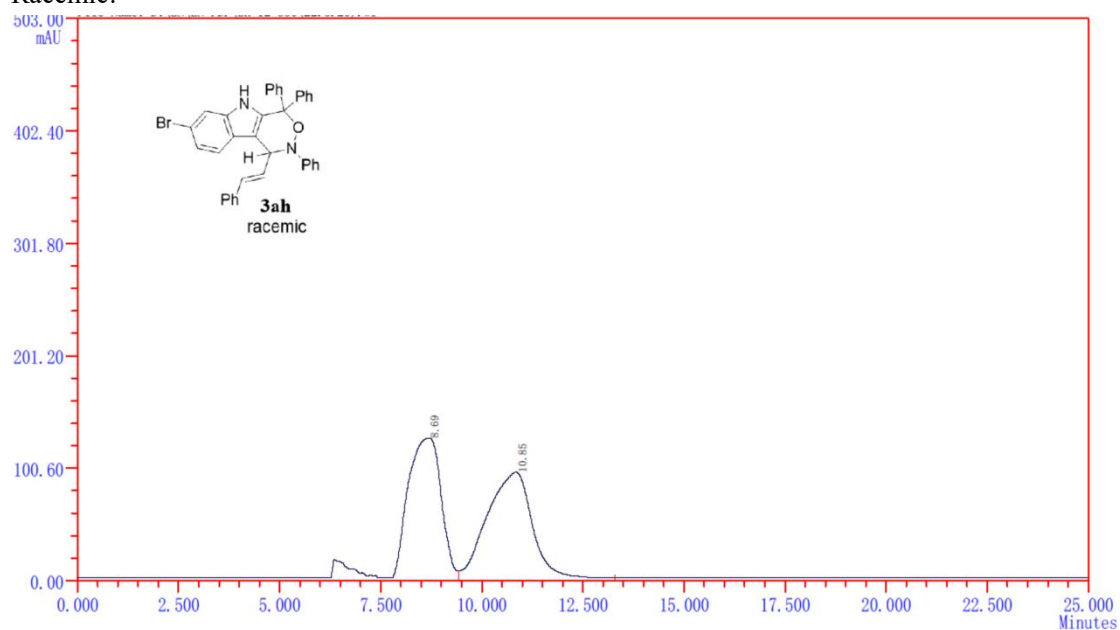
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	11.873	26.48	1445.966	3.388
2	16.790	818.99	41235.151	96.612

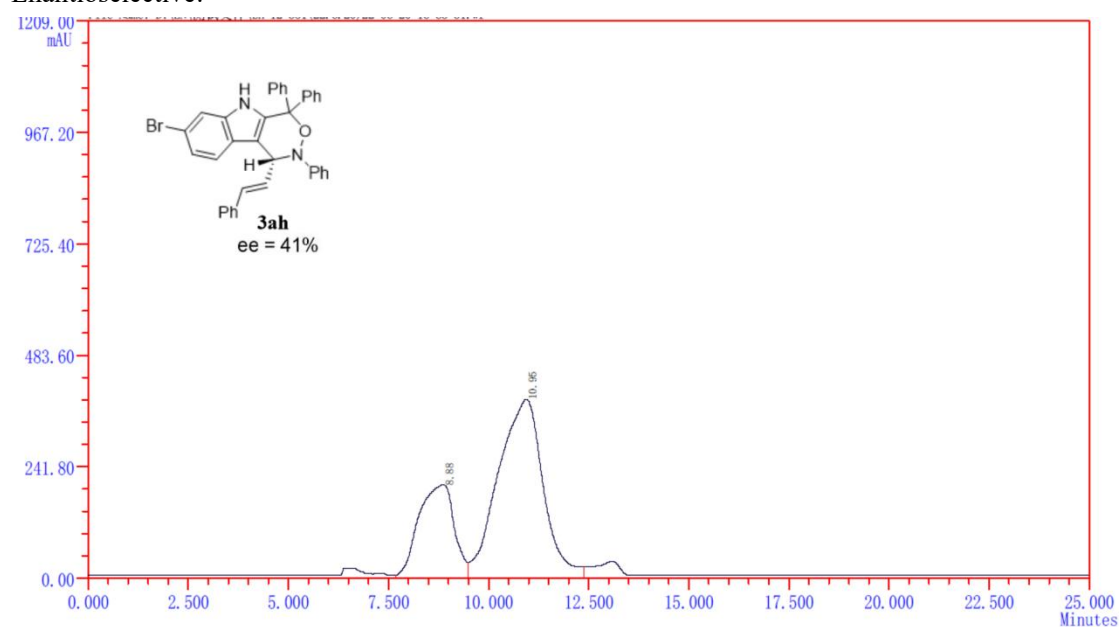
3ah

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	8.694	130.85	7592.737	48.530
2	10.850	98.69	8052.817	51.470

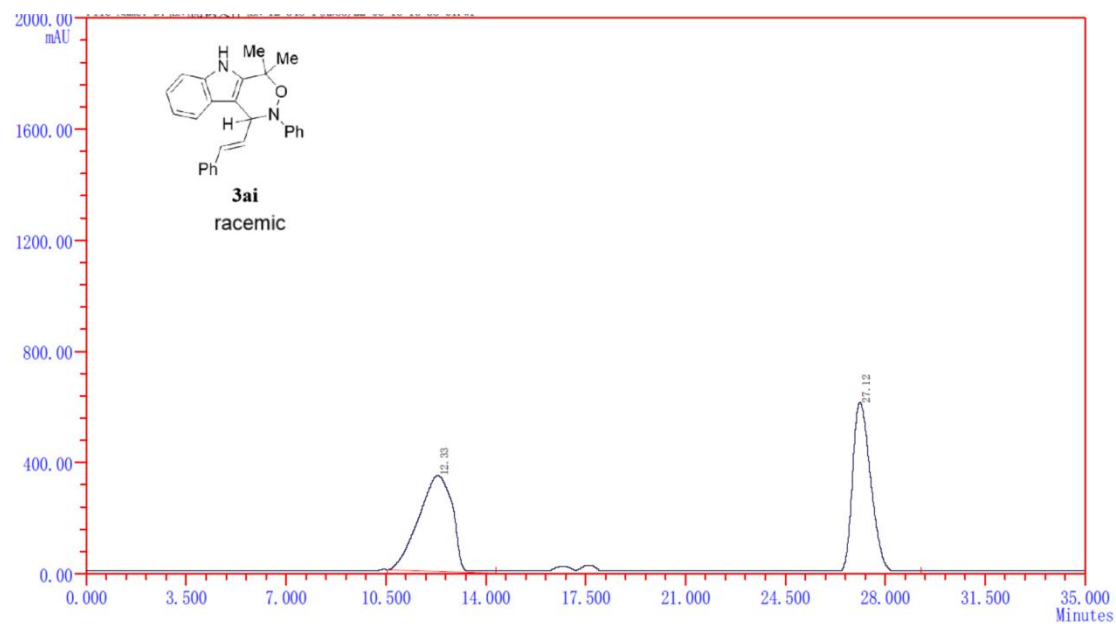
Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	8.877	201.52	12452.591	29.260
2	10.952	386.86	30105.269	70.740

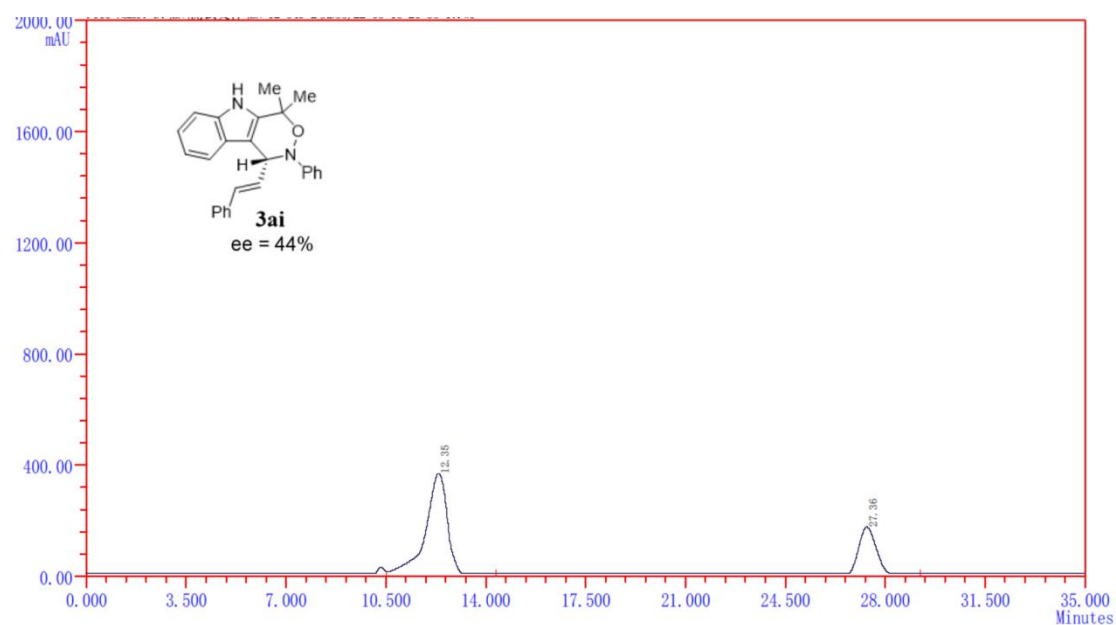
3ai

Racemic:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	12.330	346.07	28218.443	50.651
2	27.123	615.48	27493.602	49.349

Enantioselective:



Peak	ReTime	Height [MAU]	Area [MAU*s]	Area %
1	12.355	368.91	20008.384	72.204
2	27.363	176.40	7702.676	27.796