One-Pot Regiospecific Synthesis of Quinoxalines via a CH_2-Extrusion Reaction

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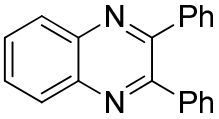
1. General information:

Unless otherwise stated, all commercial materials and solvents were used directly without further purification. Melting points were determined in open glass capillaries and were uncorrected. ^{1}H NMR spectra were recorded on 400 MHz spectrometers, and ^{13}C NMR spectra were recorded on a 100 MHz spectrometer. Chemical shifts (in ppm) were referenced to tetramethylsilane (δ = 0 ppm) in $CDCl_3$ as an internal standard at room temperature. ^{13}C NMR spectra were obtained by using the same NMR spectrometers and were calibrated with $CDCl_3$ (δ = 77.00 ppm). High-resolution mass spectra (HRMS) were equipped with an ESI source and a TOF detector. Column chromatography was performed on silica gel (70-230 mesh ASTM) using the reported eluents. Thin-layer chromatography (TLC) was carried out on 4×15 cm plates with a layer thickness of 0.2 mm (silica gel 60 F254). The ynones were prepared according to the literatures.[1]

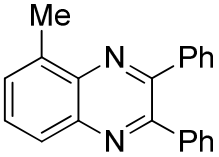
2. General procedure for synthesis of quinoxalines 2:

A mixture of ynones **3** (0.5 mmol), *o*-phenylenediamines **4** (0.6 mmol, 1.2 eq) in MeOH (5 mL) was stirred under refluxing for 24 h (monitored by TLC). After evaporation of MeOH, KOtBu (1 mmol, 2eq) and DMSO (3 mL) was added to the crude mixture derived from the reaction of α,β-ynones **3** with *o*-phenylenediamines **4**, and the mixture was stirred under O_2 atmosphere for 2 h (monitored by TLC). Then H_2O was added and the resultant was extracted with EtOAc (3 x 10 mL). The combined EtOAc extracts were dried over Na_2SO_4 and concentrated. Then solvent was evaporated and the residue was purified by chromatography (silica gel, 10% EtOAc in PE) to give **2**.

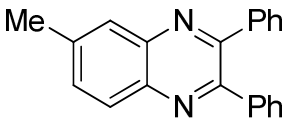
3. Characterization data for products:



2,3-Diphenylquinoxaline **2aa**[2]
124 mg, 88% yield. White solid, mp: 116–117 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 – 8.11 (m, 2H), 7.80 – 7.74 (m, 2H), 7.57 – 7.48 (m, 4H), 7.39 – 7.30 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.4, 141.2, 139.0, 129.9, 129.8, 129.2, 128.7, 128.2.

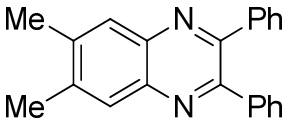


5-Methyl-2,3-diphenylquinoxaline **2ab**[2]
105 mg, 71% yield. White solid, mp: 108–109 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.2 Hz, 1H), 7.69 – 7.48 (m, 6H), 7.33 (dd, *J* = 12.4, 5.1 Hz, 6H), 2.86 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.8, 151.7, 141.1, 140.4, 139.4, 139.3, 137.6, 130.1, 129.8, 129.8, 129.7, 128.7, 128.3, 128.1, 126.9, 17.1.



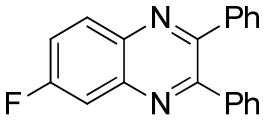
6-Methyl-2,3-diphenylquinoxaline **2ac**[2]

117 mg, 79% yield. White solid, mp: 116–118 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.5 Hz, 1H), 7.95 (s, 1H), 7.60 (dd, *J* = 8.6, 1.8 Hz, 1H), 7.54 – 7.46 (m, 4H), 7.38 – 7.30 (m, 6H), 2.61 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.3, 152.6, 141.3, 140.5, 139.7, 139.2, 132.3, 129.8, 129.8, 128.7, 128.7, 128.6, 128.2, 128.2, 128.0, 21.9.



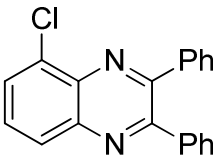
6,7-Dimethyl-2,3-diphenylquinoxaline **2ad**[2]

119 mg, 77% yield. White solid, mp: 174–175 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (s, 2H), 7.53 – 7.46 (m, 4H), 7.36 – 7.29 (m, 6H), 2.52 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 140.5, 140.2, 139.3, 129.8, 128.5, 128.2, 20.4.



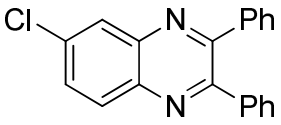
6-Fluoro-2,3-diphenylquinoxaline **2ae**[2]

54 mg, 36% yield. White solid, mp: 134–135 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (dd, *J* = 9.2, 5.7 Hz, 1H), 7.80 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.60 – 7.48 (m, 5H), 7.43 – 7.29 (m, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 164.1, 161.6, 154.2, 152.8 (d, *J* = 3.2 Hz), 141.9 (d, *J* = 13.3 Hz), 138.8, 138.7, 138.4 (d, *J* = 0.6 Hz), 131.2 (d, *J* = 10.1 Hz), 129.8, 129.8, 129.0 128.9, 128.3, 120.3 (d, *J* = 26.1 Hz), 112.6 (d, *J* = 21.5 Hz).



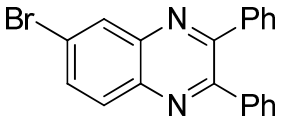
5-Chloro-2,3-diphenylquinoxaline **2af**[3]

103 mg, 65% yield. White solid, mp: 116–118 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (dd, *J* = 8.4, 1.1 Hz, 1H), 7.87 (dd, *J* = 7.5, 1.1 Hz, 1H), 7.70 – 7.66 (m, 1H), 7.61 (dd, *J* = 7.9, 1.4 Hz, 2H), 7.54 (dd, *J* = 7.8, 1.5 Hz, 2H), 7.36 (dq, *J* = 13.9, 6.6 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.0, 153.5, 141.9, 138.7 138.5, 138.0, 133.1, 130.2, 129.8, 129.7, 129.5, 129.2, 129.1, 128.4, 128.6, 128.2.



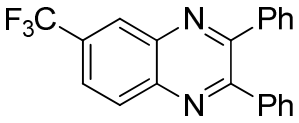
6-Chloro-2,3-diphenylquinoxaline **2ag**[1]

112 mg, 71% yield. White solid, mp: 120–121 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 2.2 Hz, 1H), 8.10 (d, *J* = 8.9 Hz, 1H), 7.70 (dd, *J* = 8.9, 2.3 Hz, 1H), 7.57 – 7.46 (m, 4H), 7.43 – 7.26 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.2, 153.6, 141.4, 139.7, 138.7, 138.6, 135.6, 131.0, 130.4, 129.8, 129.8, 129.1, 129.0, 128.3, 128.3, 128.0.

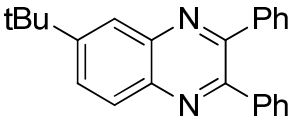


6-Bromo-2,3-diphenylquinoxaline **2ah**[1]

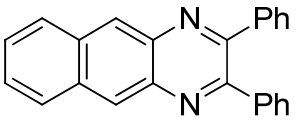
126 mg, 70% yield. White solid, mp: 119–120 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 2.1 Hz, 1H), 8.03 (d, *J* = 8.9 Hz, 1H), 7.84 (dd, *J* = 8.9, 2.1 Hz, 1H), 7.57 – 7.48 (m, 4H), 7.41 – 7.30 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.2, 153.7, 141.7, 139.9, 138.7, 138.6, 133.5, 131.4, 130.5, 129.8, 129.7, 129.1, 129.1, 128.3, 128.30, 123.8.



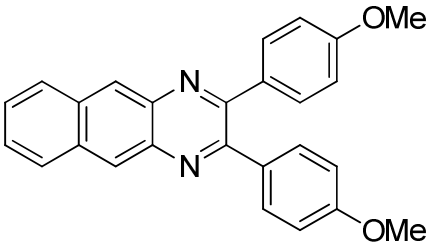
2,3-Diphenyl-6-(trifluoromethyl)quinoxaline **2ai**[1]
109 mg, 62% yield. White solid, mp: 129–130 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50 (s, 1H), 8.29 (d, *J* = 8.7 Hz, 1H), 7.94 (dd, *J* = 8.8, 1.9 Hz, 1H), 7.54 (ddd, *J* = 5.4, 3.5, 1.4 Hz, 4H), 7.42 – 7.33 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.4, 154.8, 142.2, 140.2, 138.4, 138.4, 131.5 (d, *J* = 33.0 Hz), 130.4, 129.8, 129.8, 129.4, 129.3, 128.4, 128.4, 127.2 (d, *J* = 4.3 Hz), 125.5 (d, *J* = 3.1 Hz), 123.7 (d, *J* = 272.7 Hz).



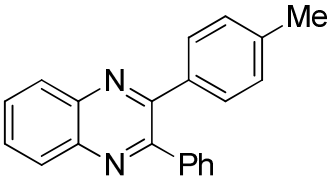
6-(tert-Butyl)-2,3-diphenylquinoxaline **2aj**
139 mg, 82% yield. White solid, mp: 143–144 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (dd, *J* = 9.5, 5.4 Hz, 2H), 7.87 (dd, *J* = 8.9, 2.1 Hz, 1H), 7.58 – 7.43 (m, 4H), 7.42 – 7.26 (m, 6H), 1.47 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.5, 153.3, 152.8, 141.1, 139.6, 139.2, 139.2, 129.8, 129.7, 128.9, 128.6, 128.6, 128.5,128.2, 128.2, 124.4, 35.3, 31.1; HRMS (ESI) m/z calcd for $C_{24}H_{23}N_2$ (MH+) 339.1856, found 339.1863.



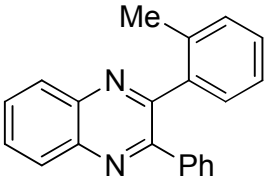
2,3-Diphenylbenzo[g]quinoxaline **2ak**[4]
76 mg, 46% yield. Yellow solid, mp: 185–186 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (s, 2H), 8.10 (dd, *J* = 6.4, 3.3 Hz, 2H), 7.61 – 7.52 (m, 6H), 7.41 – 7.32 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.1, 139.1, 137.9, 134.0, 129.8, 129.0, 128.5, 128.2, 127.5, 126.7.



2,3-Bis(4-methoxyphenyl)benzo[g]quinoxaline **2al**[5]
84 mg, 43% yield. Yellow solid, mp: 173–175 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68 (s, 2H), 8.08 (dd, *J* = 6.4, 3.2 Hz, 2H), 7.60 – 7.49 (m, 6H), 6.89 (d, *J* = 8.7 Hz, 4H), 3.84 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.3, 153.8, 137.9, 133.8, 131.8, 131.3, 128.5, 127.2, 126.5, 113.7, 55.3.

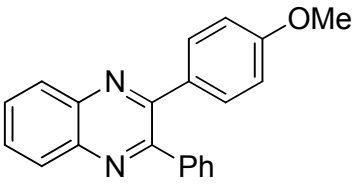


2-Phenyl-3-(p-tolyl)quinoxaline **2ba**[6]
121 mg, 82% yield. White solid, mp: 104–105 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 – 8.07 (m, 2H), 7.74 (dd, *J* = 6.3, 3.6 Hz, 2H), 7.57 – 7.50 (m, 2H), 7.41 (d, *J* = 8.1 Hz, 2H), 7.37 – 7.29 (m, 3H), 7.13 (d, *J* = 7.9 Hz, 2H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ153.4, 153.4, 141.2, 141.0, 139.2, 138.8, 136.1, 129.8, 129.8, 129.7, 129.7, 129.7, 129.1, 128.9, 128.7, 128.2, 21.2.



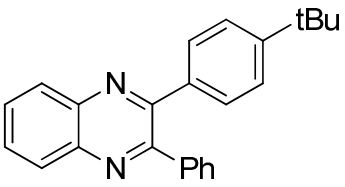
2-Phenyl-3-(o-tolyl)quinoxaline **2ca**[7]

102 mg, 69% yield. White solid, mp: 109–110 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 – 8.13 (m, 2H), 7.84 – 7.75 (m, 2H), 7.53 – 7.46 (m, 2H), 7.34 – 7.20 (m, 6H), 7.16 (d, *J* = 7.4 Hz, 1H), 2.01 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.5, 153.7, 141.6, 141.0, 139.0, 138.6, 135.9, 130.5, 130.1, 130.0, 130.0, 129.6, 129.3, 129.2, 128.9, 128.8, 128.1, 126.0, 19.7.



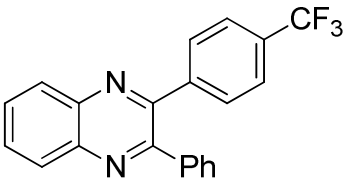
2-(4-Methoxyphenyl)-3-phenylquinoxaline **2da**[7]

119 mg, 76% yield. White solid, mp: 114–115 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 – 8.12 (m, 2H), 7.78 – 7.70 (m, 2H), 7.57 – 7.52 (m, 2H), 7.51 – 7.45 (m, 2H), 7.36 (dd, *J* = 5.4, 1.7 Hz, 3H), 6.89 – 6.82 (m, 2H), 3.81 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.2, 153.4, 153.0, 141.3, 141.0, 139.4, 131.3, 131.3, 129.8, 129.7, 129.6, 129.1, 129.0, 128.7, 128.3, 113.7, 55.3.



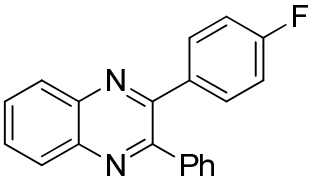
2-(4-(tert-Butyl)phenyl)-3-phenylquinoxaline **2ea**

132 mg, 78% yield. White solid, mp: 148–149 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (dd, *J* = 6.1, 3.7 Hz, 2H), 7.76 (dd, *J* = 6.4, 3.4 Hz, 2H), 7.55 (dd, *J* = 7.6, 1.7 Hz, 2H), 7.45 (d, *J* = 8.4 Hz, 2H), 7.40 – 7.32 (m, 5H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.5, 152.0, 141.3, 141.1, 139.2, 136.1, 129.8, 129.8, 129.7, 129.5, 129.2, 129.1, 128.7, 128.2, 125.2, 34.7, 31.2; HRMS (ESI) m/z calcd for $C_{24}H_{23}N_2$ (MH+) 339.1856, found 339.1864.



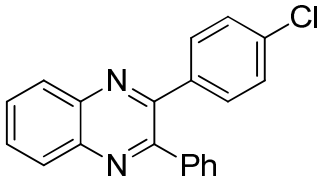
2-phenyl-3-(4-(trifluoromethyl)phenyl)quinoxaline **2fa**

137 mg, 78% yield. White solid, mp: 136–137 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (td, *J* = 7.9, 4.6 Hz, 2H), 7.81 (dd, *J* = 6.4, 3.0 Hz, 2H), 7.63 (dd, *J* = 23.5, 8.1 Hz, 4H), 7.51 (d, *J* = 6.3 Hz, 2H), 7.45 – 7.33 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.2, 151.8, 142.6, 141.4, 141.1, 138.5, 130.5, 130.3, 130.2, 129.8, 129.3, 129.1, 128.5, 125.2 (d, *J* = 3.7 Hz) ; HRMS (ESI) m/z calcd for $C_{21}H_{14}F_3N_2$ (MH+) 351.1104, found 351.1109.



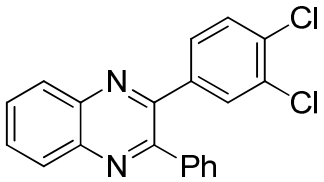
2-(4-Fluorophenyl)-3-phenylquinoxaline **2ga**[8]

121 mg, 81% yield. White solid, mp: 104–105 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (ddd, *J* = 6.0, 3.8, 2.9 Hz, 2H), 7.78 (dd, *J* = 6.4, 3.4 Hz, 2H), 7.57 – 7.47 (m, 4H), 7.38 (t, *J* = 6.3 Hz, 3H), 7.03 (t, *J* = 8.7 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 164.4, 161.9, 152.8 (d, *J* = 99.2 Hz), 141.2, 141.2, 138.9, 135.1 (d, *J* = 3.3 Hz), 131.8 (d, *J* = 8.4 Hz), 130.1, 130.1, 129.8, 129.2, 129.1, 128.9, 128.4, 115.4 (d, *J* = 21.7 Hz).



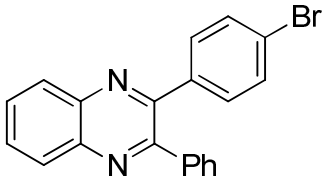
2-(4-Chlorophenyl)-3-phenylquinoxaline **2ha**[8]

125 mg, 79% yield. White solid, mp: 141–142 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (td, *J* = 5.9, 3.4 Hz, 2H), 7.79 (dd, *J* = 6.4, 3.4 Hz, 2H), 7.54 – 7.45 (m, 4H), 7.40 – 7.34 (m, 3H), 7.34 – 7.28 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.3, 152.2, 141.3, 141.2, 138.8, 137.5, 135.1, 131.2, 130.2, 130.2, 129.8, 129.2, 129.2, 129.0, 128.5, 128.5.



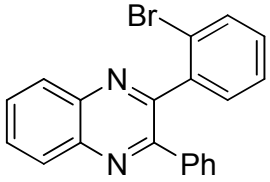
2-(3,4-Dichlorophenyl)-3-phenylquinoxaline **2ia**

118 mg, 67% yield. White solid, mp: 130–131 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 – 8.14 (m, 2H), 7.80 (ddd, *J* = 7.9, 4.6, 2.4 Hz, 3H), 7.55 – 7.49 (m, 2H), 7.44 – 7.37 (m, 3H), 7.35 (d, *J* = 8.3 Hz, 1H), 7.23 (dd, *J* = 8.3, 2.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.1, 150.7, 141.4, 141.1, 138.9, 138.6, 133.3, 132.7, 131.7, 130.5, 130.3, 130.0 129.7, 129.2, 129.2, 129.2, 129.2, 128.6; HRMS (ESI) m/z calcd for $C_{20}H_{13}Cl_2N_2$ (MH+) 351.0450, found 351.0456.



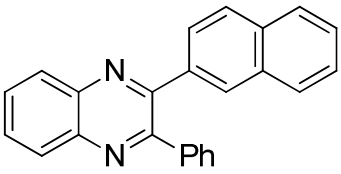
2-(4-Bromophenyl)-3-phenylquinoxaline **2ja**[8]

141 mg, 78% yield. White solid, mp: 136–137 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 – 8.11 (m, 2H), 7.80 – 7.74 (m, 2H), 7.53 – 7.49 (m, 2H), 7.49 – 7.44 (m, 2H), 7.42 – 7.34 (m, 5H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.2, 152.1, 141.2, 141.2, 138.8, 137.9, 131.6, 131.4, 130.2, 130.1, 129.7, 129.2, 129.1, 129.0, 128.4, 123.4.



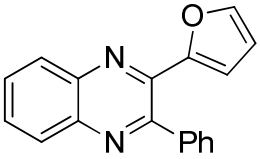
2-(2-Bromophenyl)-3-phenylquinoxaline **2ka**[9]

171 mg, 95% yield. White solid, mp: 132–133 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 – 8.13 (m, 2H), 7.87 – 7.77 (m, 2H), 7.62 – 7.50 (m, 3H), 7.47 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.40 (td, *J* = 7.5, 1.1 Hz, 1H), 7.36 – 7.26 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.6, 153.3, 141.8, 140.7, 140.3, 138.3, 133.0, 131.4, 130.4, 130.2, 130.1, 129.6, 129.4, 129.2, 128.9, 128.0, 127.5, 122.7.



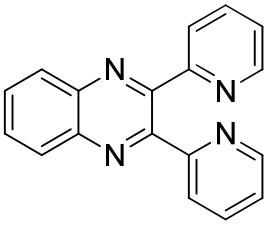
2-(Naphthalen-2-yl)-3-phenylquinoxaline **2la**

136 mg, 82% yield. White solid, mp: 114–115 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 – 8.16 (m, 2H), 8.14 (s, 1H), 7.77 (ddd, *J* = 18.9, 13.0, 7.9 Hz, 5H), 7.58 – 7.43 (m, 5H), 7.37 – 7.26 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.5, 153.2, 141.3, 141.2, 139.0, 136.5, 133.2, 133.1, 130.0, 130.0, 129.8, 129.8, 129.2, 129.2, 128.8, 128.6, 128.3, 127.6, 127.6, 127.0, 126.8, 126.2; HRMS (ESI) m/z calcd for $C_{24}H_{17}N_2$ (MH+) 333.1386, found 333.1395.



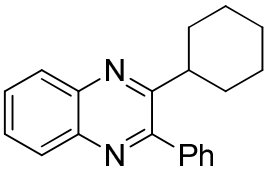
2-(Furan-2-yl)-3-phenylquinoxaline **2ma**

99 mg, 73% yield. White solid, mp: 121–122 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 8.1, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.80 – 7.71 (m, 2H), 7.62 – 7.46 (m, 6H), 6.36 (dd, *J* = 3.5, 1.7 Hz, 1H), 6.15 (d, *J* = 3.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 150.9, 144.7, 143.1, 141.0, 140.3, 139.3, 130.3, 129.9, 129.2, 129.1, 129.0, 128.8, 128.6, 114.8, 111.7; HRMS (ESI) m/z calcd for $C_{18}H_{13}N_2O$ (MH+) 273.1022, found 273.1029.



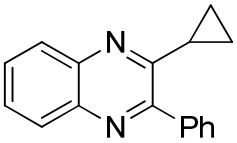
2,3-Di(pyridin-2-yl)quinoxaline **2na**[2]

88 mg, 62% yield. White solid, mp: 166–167 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 – 8.34 (m, 2H), 8.23 (dd, *J* = 6.4, 3.4 Hz, 2H), 7.96 (d, *J* = 7.8 Hz, 2H), 7.81 (ddd, *J* = 9.4, 5.5, 2.0 Hz, 4H), 7.24 (ddd, *J* = 7.5, 4.9, 1.0 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 152.4, 148.5, 141.1, 136.6, 130.4, 129.3, 124.2, 122.9.



2-Cyclohexyl-3-phenylquinoxaline **2oa**

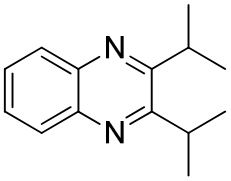
94 mg, 65% yield. White oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (dd, *J* = 7.5, 2.3 Hz, 2H), 7.74 – 7.66 (m, 2H), 7.58 (dt, *J* = 8.1, 2.1 Hz, 2H), 7.55 – 7.47 (m, 3H), 3.18 – 3.07 (m, 1H), 1.82 (dd, *J* = 14.7, 5.9 Hz, 6H), 1.38 – 1.19 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.9, 154.7, 141.7, 140.4, 139.2, 129.4, 129.1, 129.0, 128.8, 128.7, 128.6, 128.4, 42.3, 32.4, 26.3, 25.8; HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2$ (MH+) 289.1699, found 289.1706.



2-Cyclopropyl-3-phenylquinoxaline **2pa**

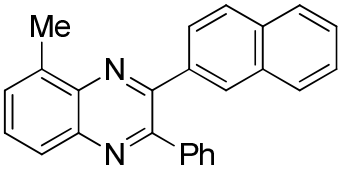
81 mg, 63% yield. White solid, mp: 66–67 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 – 8.03 (m, 1H), 7.96 (dd, *J* = 8.1, 1.4 Hz, 1H), 7.80 (dd, *J* = 8.0, 1.3 Hz, 2H), 7.70 – 7.61 (m, 2H), 7.56 – 7.46 (m, 3H), 2.32

(dq, *J* = 8.1, 4.8 Hz, 1H), 1.42 – 1.36 (m, 2H), 1.09 – 1.02 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.5, 154.4, 141.4, 140.3, 138.9, 129.4, 129.4, 129.1, 128.8, 128.5, 128.4, 128.3, 15.4, 11.8; HRMS (ESI) m/z calcd for $C_{17}H_{15}N_2$ (MH+) 247.1230, found 247.1233.



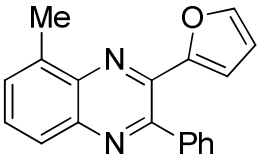
2,3-Diisopropylquinoxaline **2qa**

54 mg, 45% yield. White solid, mp: 70–71 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 – 7.93 (m, 2H), 7.69 – 7.57 (m, 2H), 3.53 (hept, *J* = 6.7 Hz, 2H), 1.40 (d, *J* = 6.7 Hz, 12H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.3, 140.9, 128.6, 128.4, 31.2, 22.1; HRMS (ESI) m/z calcd for $C_{14}H_{19}N_2$ (MH+) 215.1543, found 215.1550.



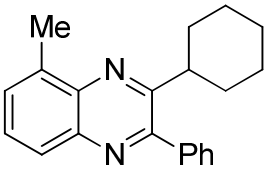
5-Methyl-3-(naphthalen-2-yl)-2-phenylquinoxaline **2lb**

73 mg, 42% yield. White solid, mp: 121–122 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 8.03 (d, *J* = 8.1 Hz, 1H), 7.83 – 7.73 (m, 3H), 7.70 – 7.59 (m, 3H), 7.56 (dd, *J* = 7.9, 1.5 Hz, 2H), 7.51 – 7.44 (m, 2H), 7.37 – 7.28 (m, 3H), 2.89 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.9, 151.6, 141.1, 140.5, 139.4, 137.6, 136.8, 133.2, 133.1, 130.0, 129.8, 129.8, 129.7, 128.7, 128.6, 128.6, 127.6, 127.5, 127.4, 126.9, 126.7, 126.3, 17.2; HRMS (ESI) m/z calcd for $C_{25}H_{19}N_2$ (MH+) 347.1543, found 347.1553.



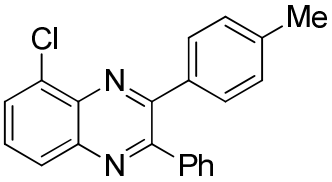
3-(Furan-2-yl)-5-methyl-2-phenylquinoxaline **2mb**

66 mg, 46% yield. White solid, mp: 97–98 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.3 Hz, 1H), 7.72 – 7.59 (m, 3H), 7.54 (d, *J* = 7.0 Hz, 1H), 7.52 – 7.42 (m, 3H), 7.39 (d, *J* = 5.0 Hz, 1H), 6.95 – 6.85 (m, 1H), 6.79 (d, *J* = 3.7 Hz, 1H), 2.79 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.0, 146.3, 142.9, 141.0, 139.7, 139.7, 137.5, 129.8, 129.6, 129.6, 129.5, 129.0, 128.9, 128.4, 127.6, 126.6, 17.1; HRMS (ESI) m/z calcd for $C_{19}H_{15}N_2O$ (MH+) 287.1179, found 287.1183.



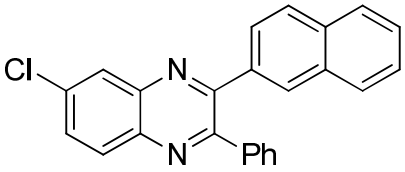
3-Cyclohexyl-5-methyl-2-phenylquinoxaline **2ob**

76 mg, 50% yield. White solid, mp: 57–58 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.62 – 7.44 (m, 7H), 3.16 – 3.04 (m, 1H), 2.83 (s, 3H), 1.87 – 1.77 (m, 6H), 1.42 – 1.18 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 154.0, 140.7, 140.4, 139.4, 137.1, 129.2, 128.8, 128.7, 128.5, 128.4, 126.8, 42.4, 32.8, 26.3, 25.9, 17.0; HRMS (ESI) m/z calcd for $C_{21}H_{23}N_2$ (MH+) 303.1856, found 303.1863.



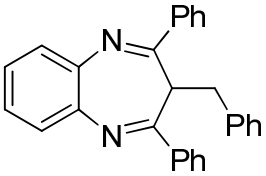
5-Chloro-2-phenyl-3-(p-tolyl)quinoxaline **2bf**

111 mg, 67% yield. White solid, mp: 144–145 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (dd, *J* = 8.4, 1.1 Hz, 1H), 7.85 (dd, *J* = 7.5, 1.1 Hz, 1H), 7.68 – 7.63 (m, 1H), 7.62 – 7.46 (m, 4H), 7.37 (q, *J* = 6.5 Hz, 3H), 7.14 (d, *J* = 7.9 Hz, 2H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.0, 153.5, 141.8, 139.3, 138.9, 138.0, 135.6, 133.1, 130.1, 129.7, 129.7, 129.3, 129.1, 129.0, 128.4, 128.1, 21.4; HRMS (ESI) m/z calcd for $C_{21}H_{16}ClN_2$ (MH+) 331.0997, found 331.1006.



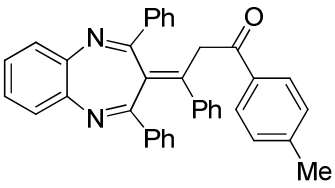
6-Chloro-2-phenyl-3-(p-tolyl)quinoxaline **2lg**

134 mg, 73% yield. White solid, mp: 143–145 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 2.2 Hz, 1H), 8.11 (d, *J* = 8.9 Hz, 2H), 7.80 (dd, *J* = 9.9, 3.8 Hz, 2H), 7.75 – 7.68 (m, 2H), 7.55 – 7.45 (m, 5H), 7.37 – 7.27 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.1, 153.7, 141.5, 139.7, 138.7, 136.0, 135.7, 133.3, 133.0, 130.9, 130.4, 129.9, 129.8, 129.0, 128.6, 128.4, 128.0, 127.7, 127.6, 127.0, 126.8, 126.3; HRMS (ESI) m/z calcd for $C_{24}H_{16}ClN_2$ (MH+) 367.0997, found 367.1002.



3-Benzyl-2,4-diphenyl-3H-benzo[b][1,4]diazepine **6**

183 mg, 98% yield. Yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 6.1, 3.5 Hz, 2H), 7.65 – 7.56 (m, 4H), 7.40 – 7.36 (m, 2H), 7.36 – 7.27 (m, 6H), 7.24 – 7.20 (m, 2H), 7.17 (d, *J* = 7.1 Hz, 1H), 7.04 (d, *J* = 6.9 Hz, 2H), 5.65 (t, *J* = 7.9 Hz, 1H), 2.39 (d, *J* = 7.9 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.4, 139.7 139.3, 138.8, 130.2, 128.8, 128.7, 128.5, 128.4, 128.0, 126.8, 125.8, 51.6, 28.9; HRMS (ESI) m/z calcd for $C_{28}H_{23}N_2$ (MH+) 387.1856, found 387.1859.



3-(2,4-Diphenyl-3H-benzo[b][1,4]diazepin-3-ylidene)-3-phenyl-1-(p-tolyl)propan-1-one **7**

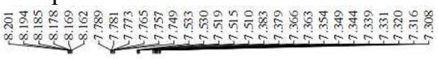
219 mg, 85% yield. White solid, mp: 135–137 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 – 8.18 (m, 2H), 8.05 (dd, *J* = 6.3, 2.7 Hz, 2H), 7.80 – 7.67 (m, 2H), 7.40 (ddd, *J* = 21.5, 12.8, 6.3 Hz, 7H), 7.31 – 7.25 (m, 3H), 7.04 (dt, *J* = 15.2, 6.8 Hz, 5H), 6.93 (d, *J* = 7.9 Hz, 2H), 4.05 – 3.90 (m, 2H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 196.1, 158.8, 157.4, 143.7, 141.9, 141.0, 138.2, 136.0, 134.6, 134.5, 133.8, 131.7, 131.0, 130.5, 129.0, 128.9, 128.9, 128.8, 128.7, 128.5, 128.2, 128.1, 128.0, 128.0, 127.8, 126.0, 125.7, 44.9, 21.6; HRMS (ESI) m/z calcd for $C_{37}H_{29}N_2O$ (MH+) 517.2274, found 517.2280.

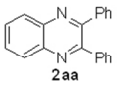
Reference：

1. (a) Palimkar, S. S.; Kumar, P. H.; Jogdand, N. R.; Daniel, T.; Lahoti, R. J.; Srinivasan, K. V. *Tetrahedron lett.* **2006**, *47*, 5527−5530. (b) Cox, R. J.; Ritson, D. J.; Dane, T. A.; Berge, J.; Charmant, J. P. H.; Kantacha, A. *Chem. Commun.* **2005**, 1037−1039.
2. Go, A., Lee, G., Kim, J., Bae, S., Lee, B. M., Kim, B. H. *Tetrahedron* **2015**, *71*, 1215.
3. Xekoukoulotakis, N. P.; Hadjiantoniou-Maroulis, C. P.; Maroulis, A. J. *Tetrahedron Lett.* **2000**, *41*, 10299.
4. Pramanik, A., Roy, R., Khan, S., Ghatak, A., Bhar, S. *Tetrahedron Lett.* **2014**, *55*, 1771.
5. Miyasaka, M.; Kaji, M.; Yin, J.; Jiang, X.; Sun, L. WO 2010126006.
6. Tingoli, M.; Mazzella, M.; Panunzi, B.; Tuzi, A. *Eur. J. Org. Chem.* **2011**, 399.
7. Mao, L.; Sakurai, H.; Hirao, T. *Synthesis* **2004**, 2535.
8. Xu, Y.; Wan, X. *Tetrahedron Lett.* **2013**, *54*, 642.
9. Santra, S. K., Banerjee, A., Khatun, N., Samanta, A., Patel, B. K. *RSC Advances*, **2015**, *5*, 11960.

^{1}H NMR, ^{13}C NMR spectra of products

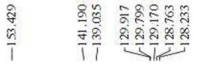
^{1}H NMR spectrum of **2aa**

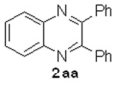




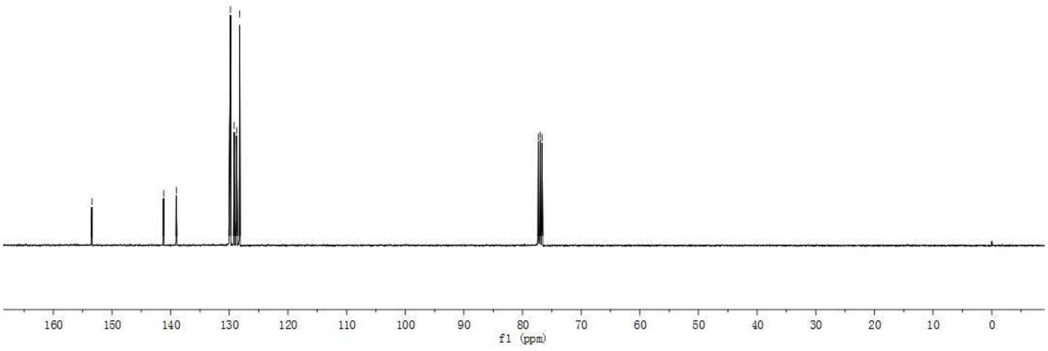
2aa

^{13}C NMR spectrum of **2aa**

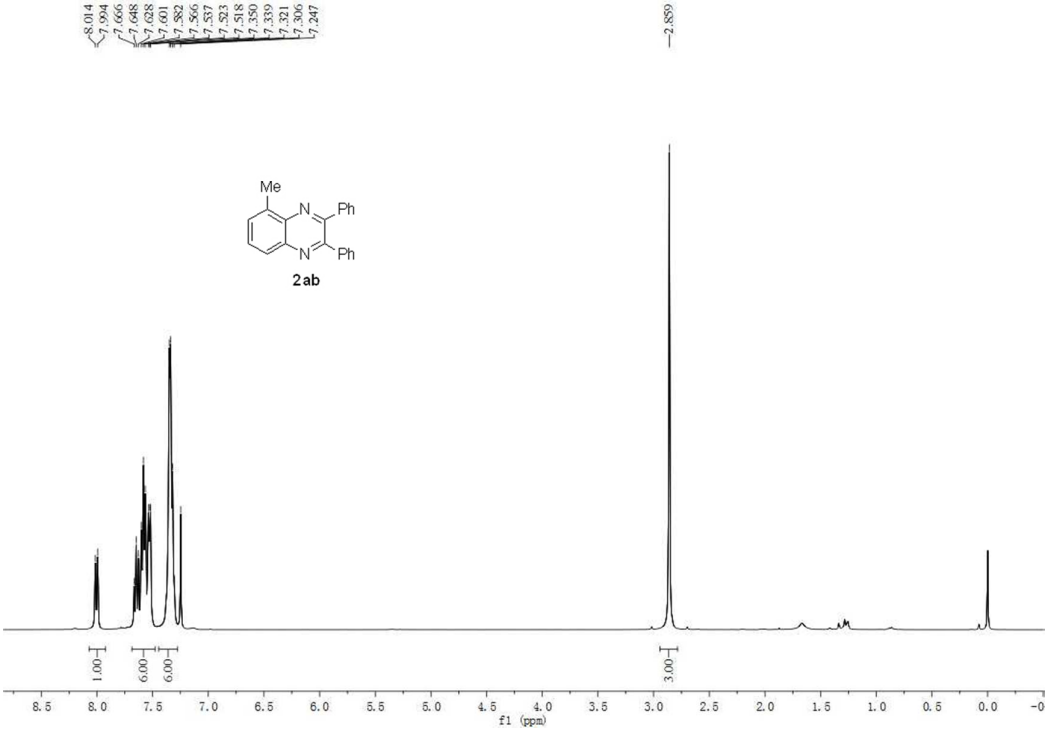




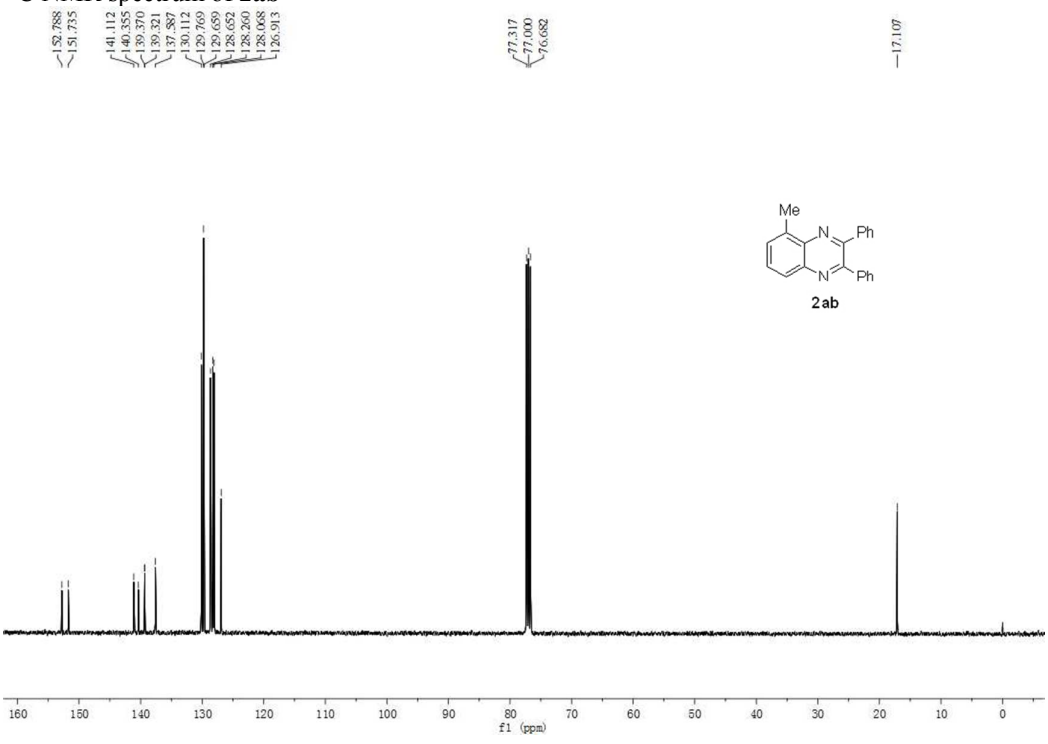
2aa



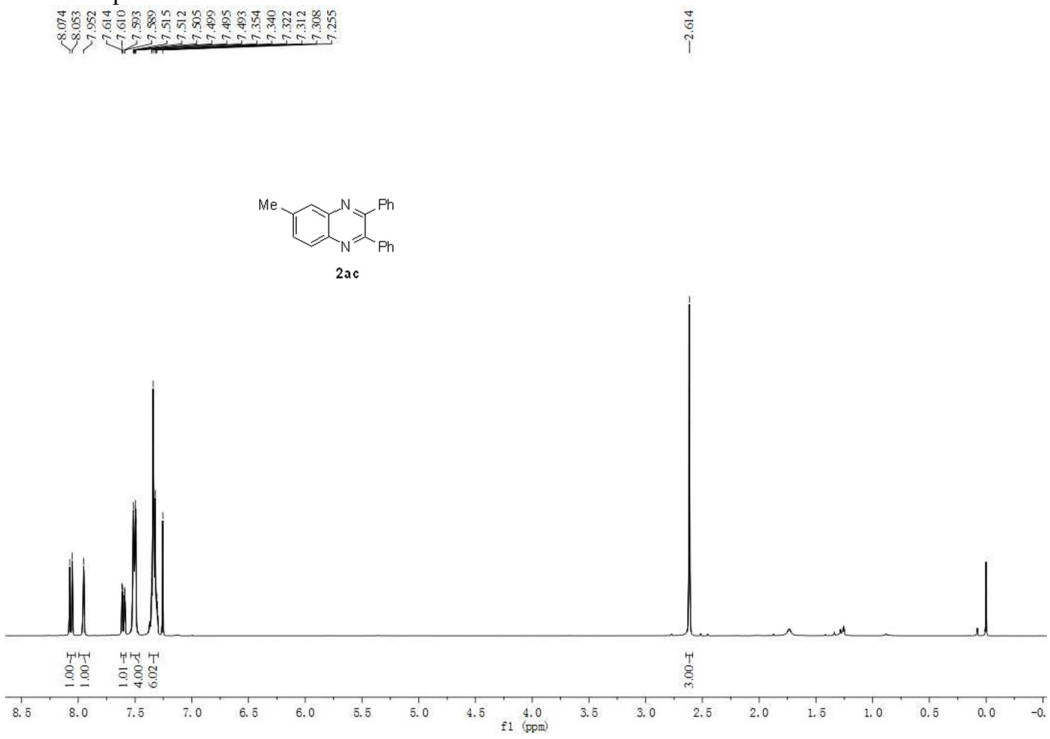
^{1}H NMR spectrum of **2ab**



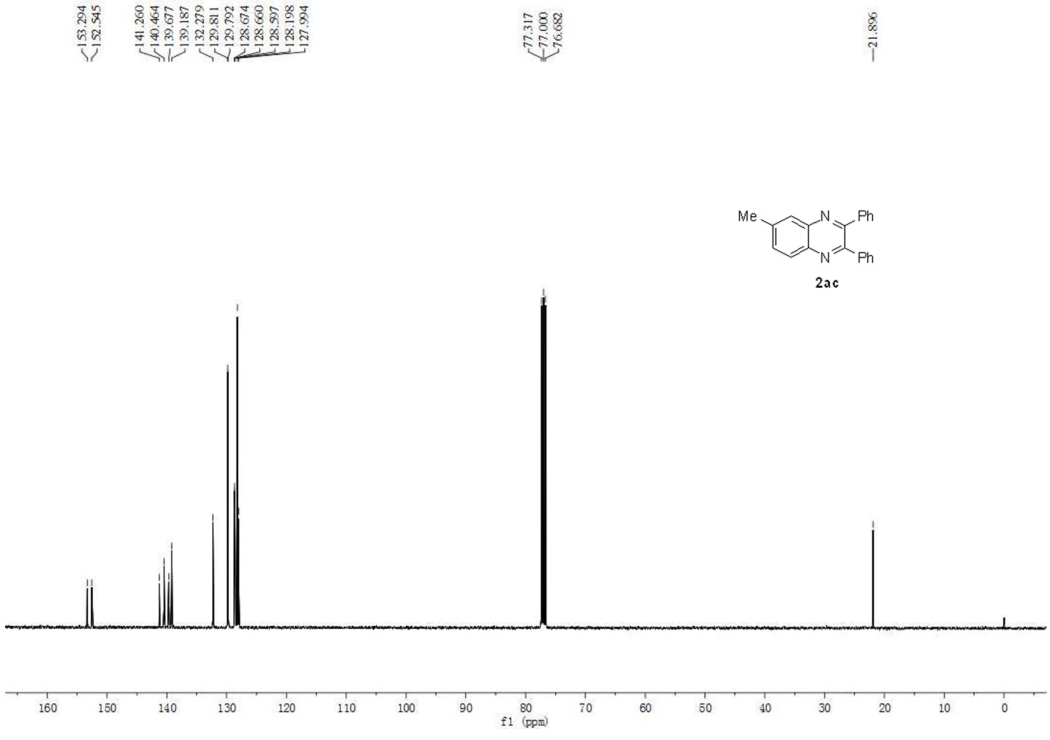
^{13}C NMR spectrum of **2ab**



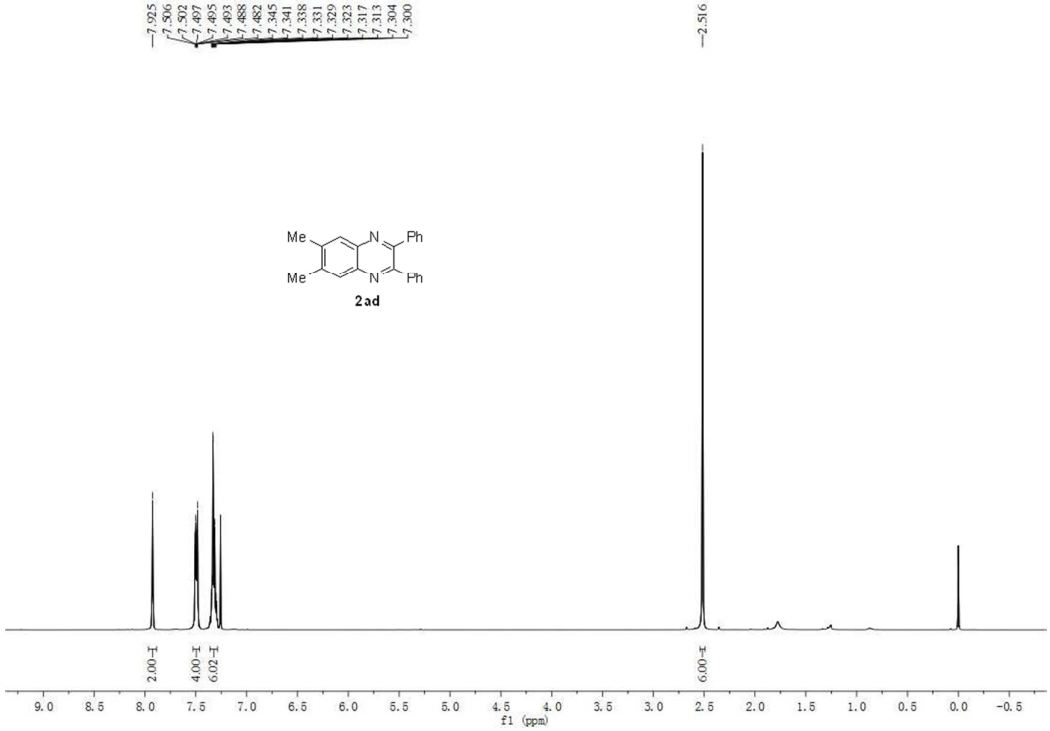
^{1}H NMR spectrum of **2ac**



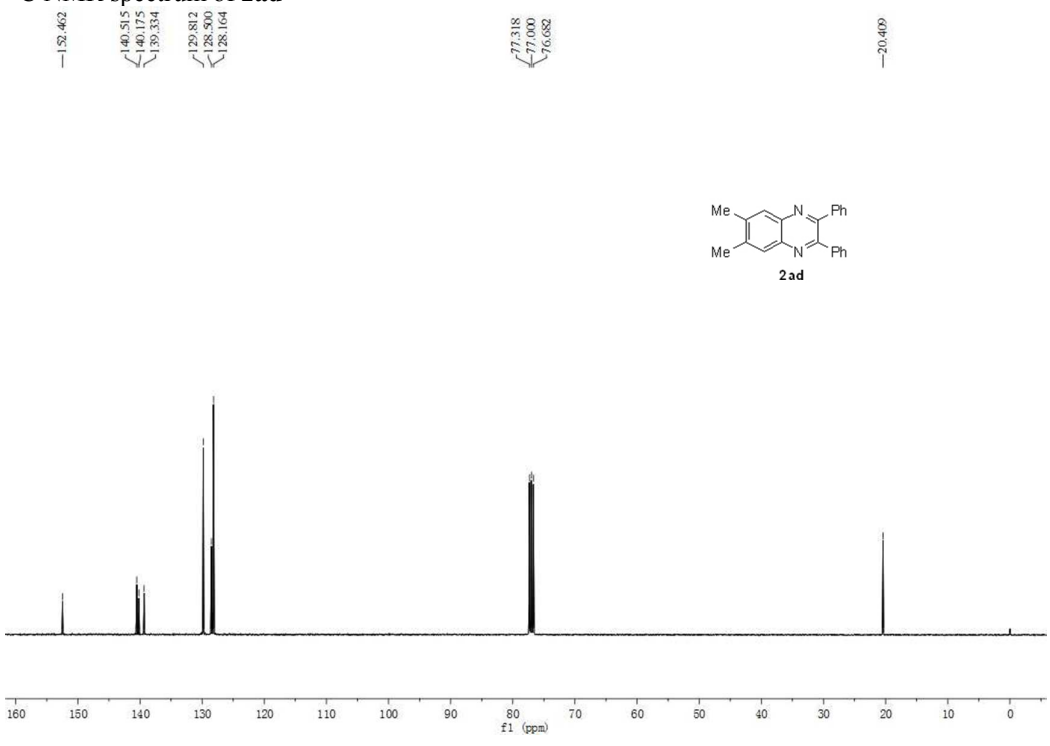
^{13}C NMR spectrum of **2ac**



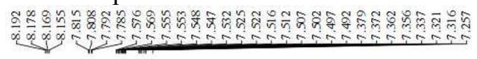
^{1}H NMR spectrum of **2ad**

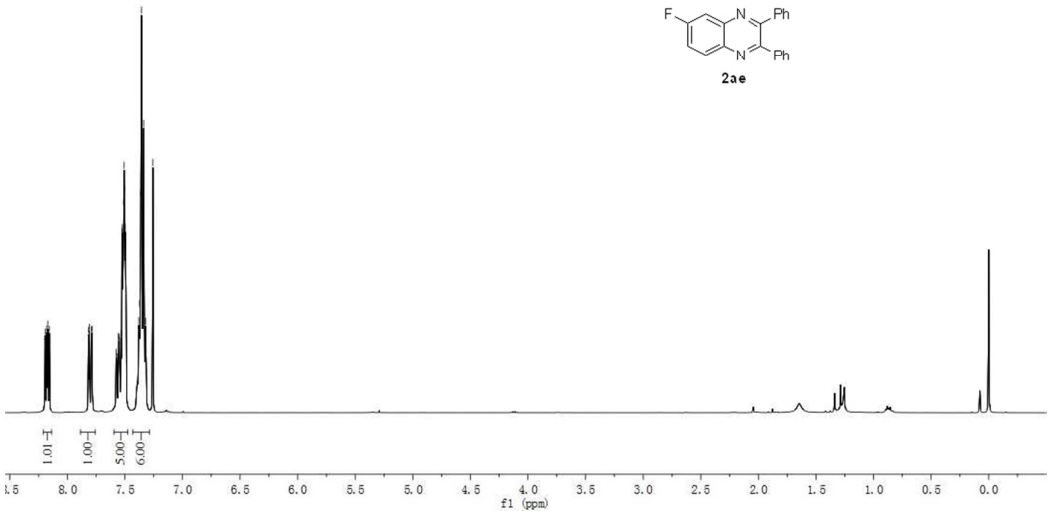


^{13}C NMR spectrum of **2ad**

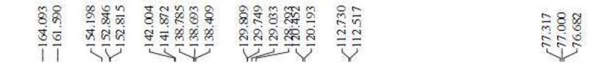


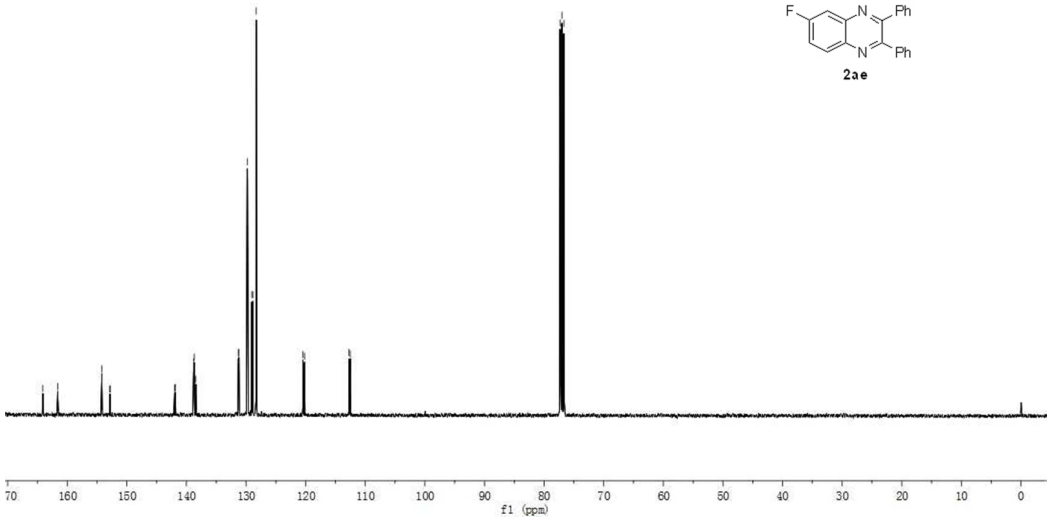
^{1}H NMR spectrum of **2ae**



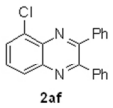


^{13}C NMR spectrum of **2ae**

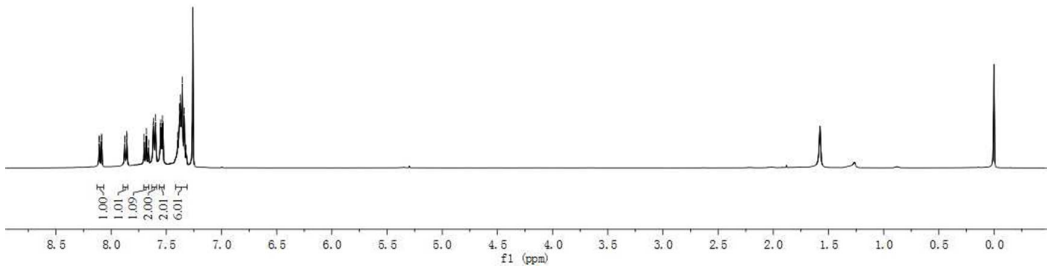




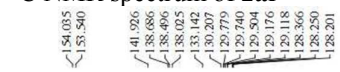
^{1}H NMR spectrum of **2af**

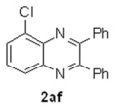


2af



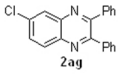
^{13}C NMR spectrum of **2af**



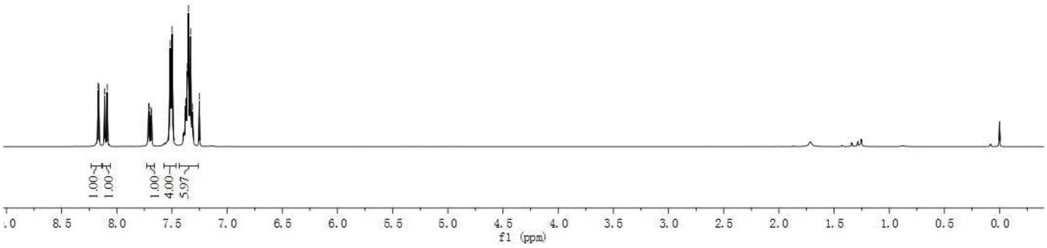


2af

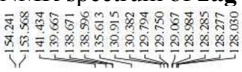
^{1}H NMR spectrum of **2ag**

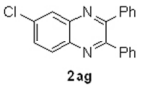


2ag

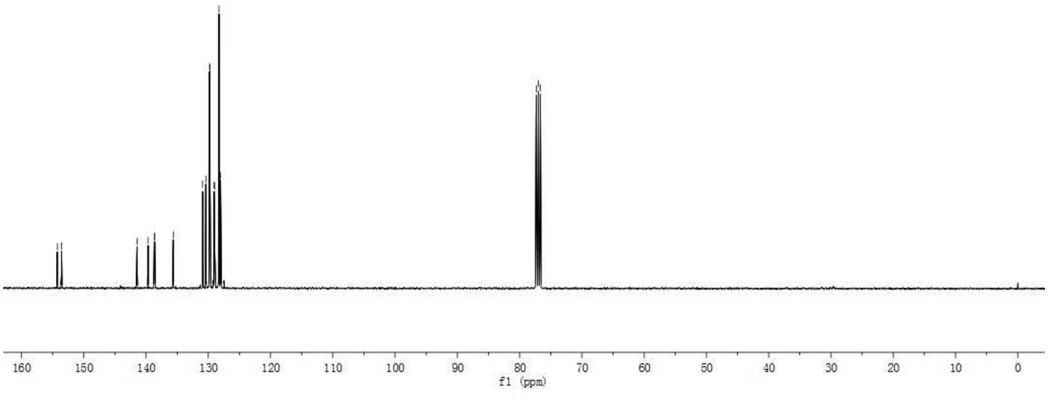


^{13}C NMR spectrum of **2ag**

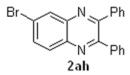




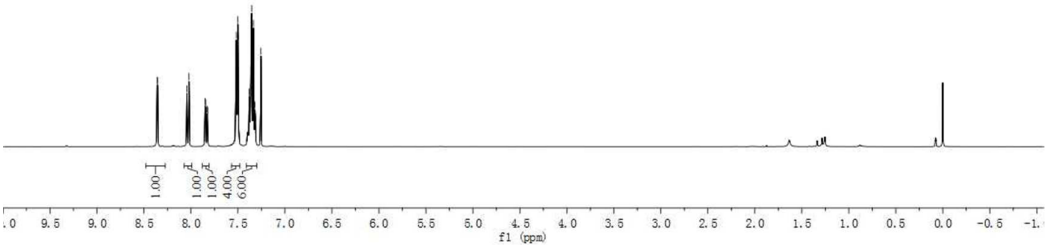
2ag



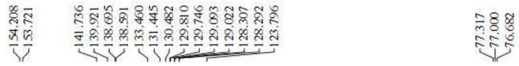
^{1}H NMR spectrum of **2ah**

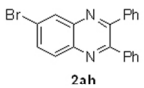


2ah



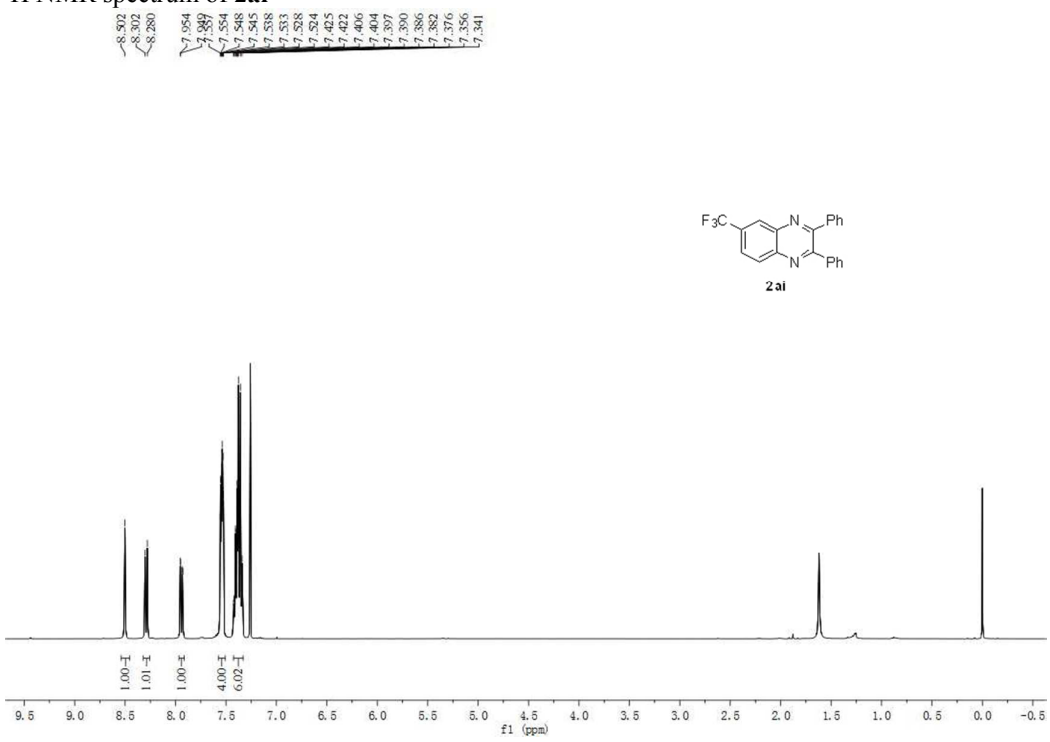
^{13}C NMR spectrum of **2ah**



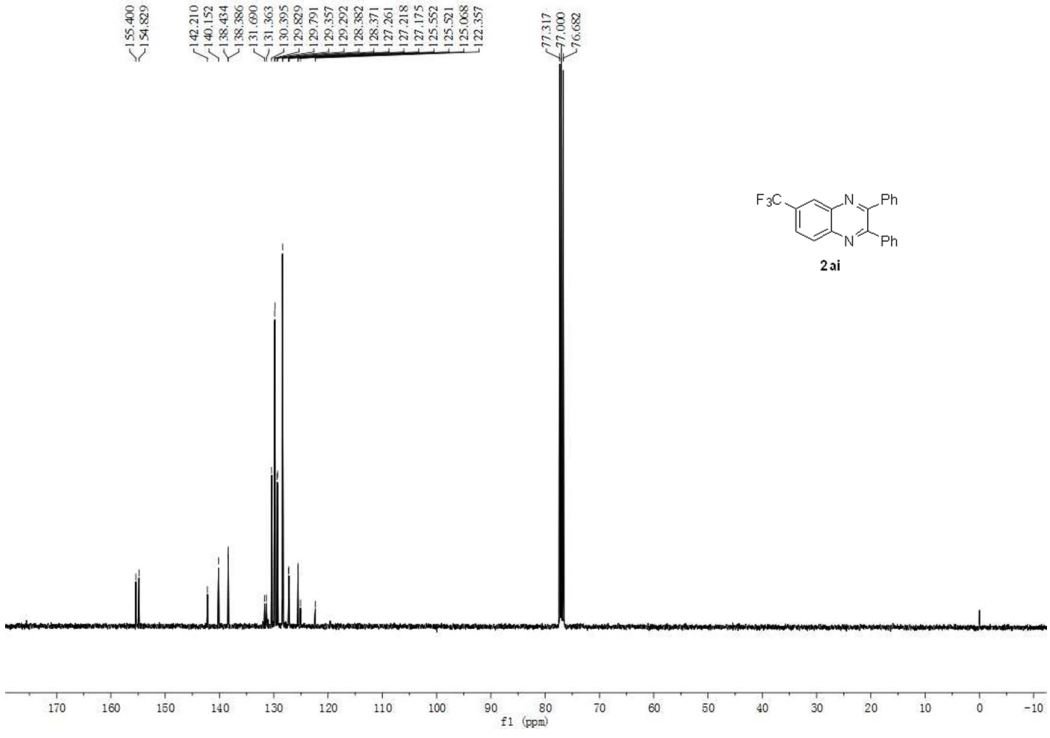


2ah

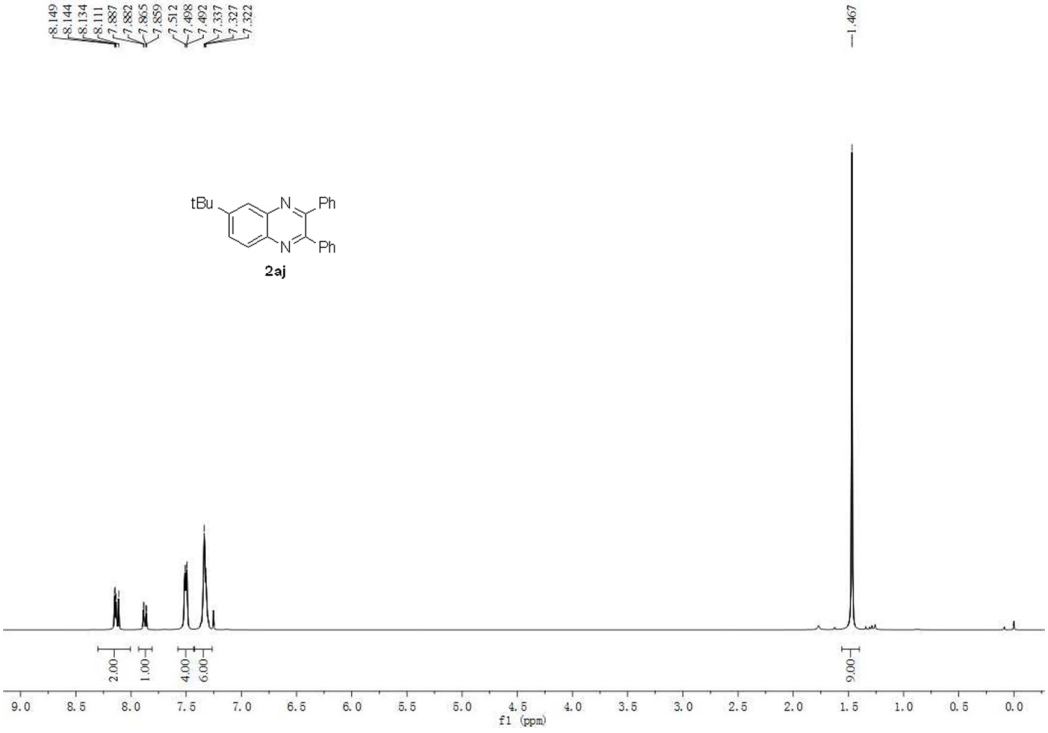
^{1}H NMR spectrum of **2ai**



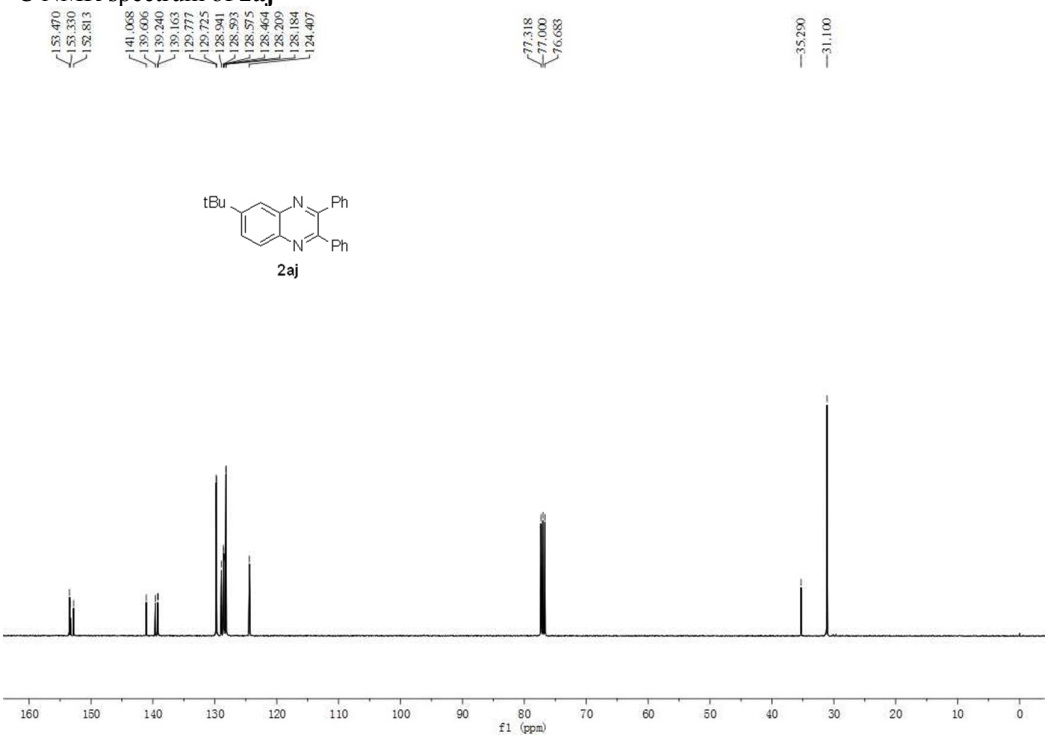
^{13}C NMR spectrum of **2ai**



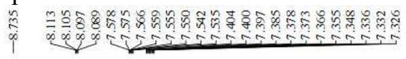
^{1}H NMR spectrum of **2aj**

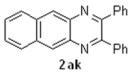


^{13}C NMR spectrum of **2aj**

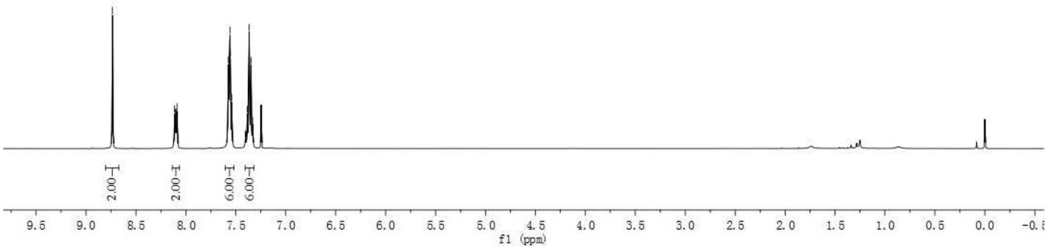


^{1}H NMR spectrum of **2ak**

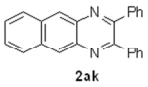




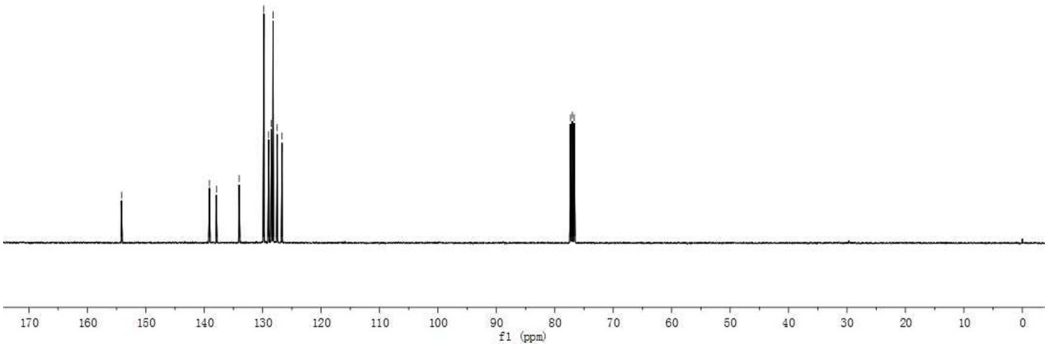
2ak



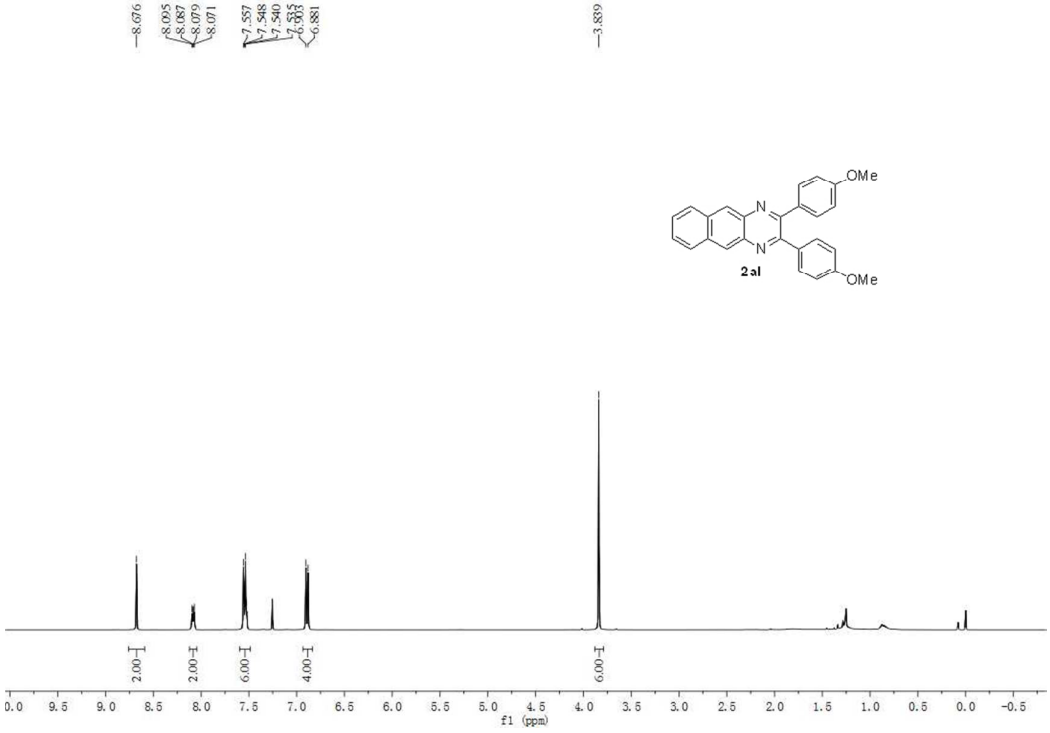
^{13}C NMR spectrum of **2ak**



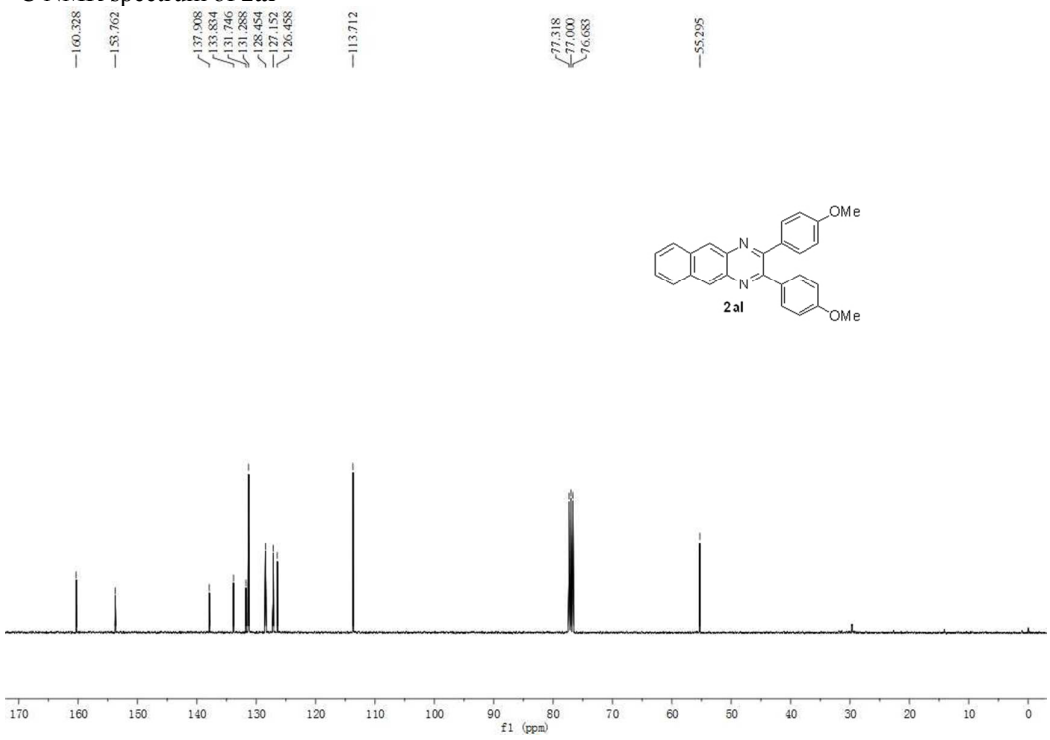
2ak



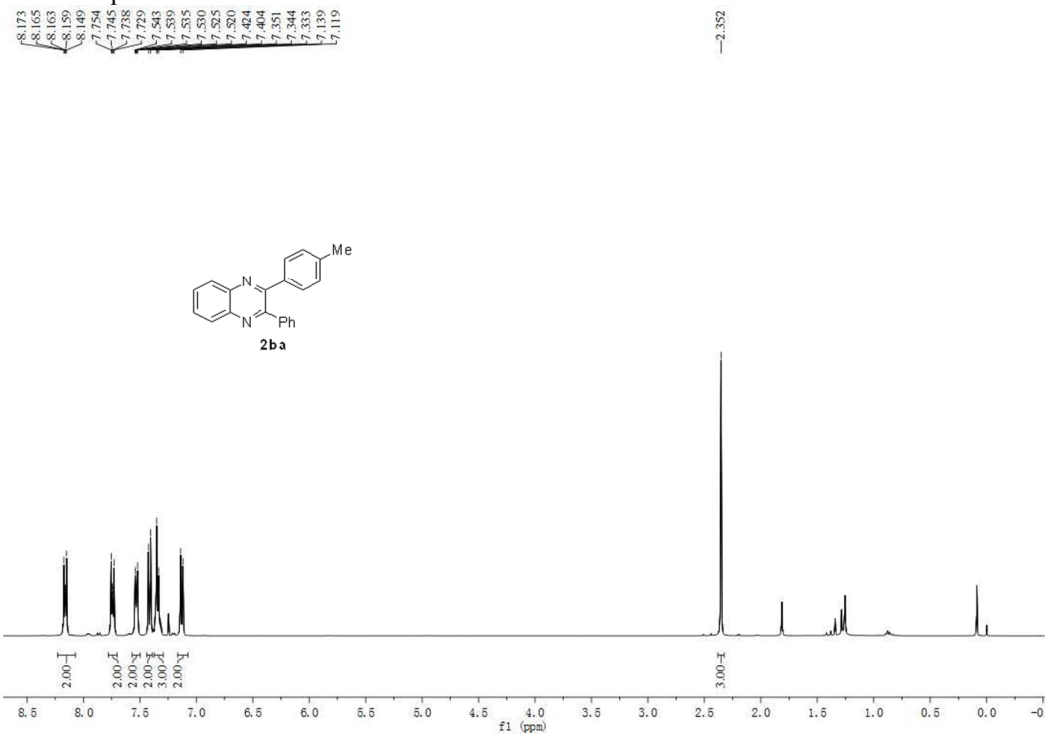
^{1}H NMR spectrum of **2al**



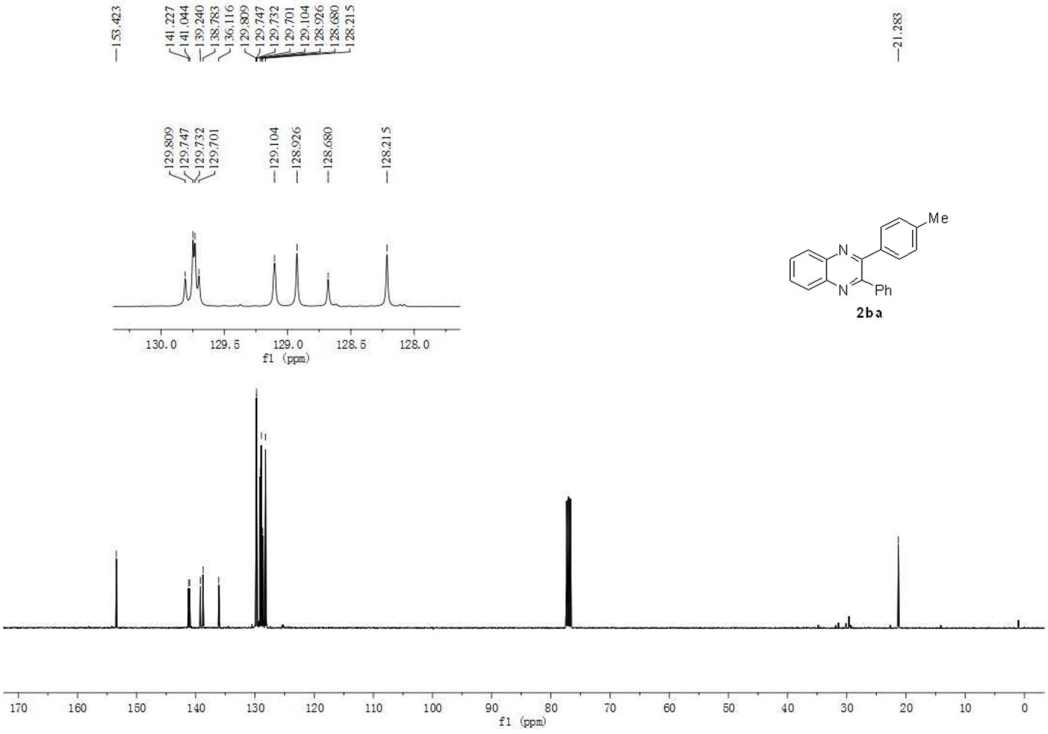
^{13}C NMR spectrum of **2al**



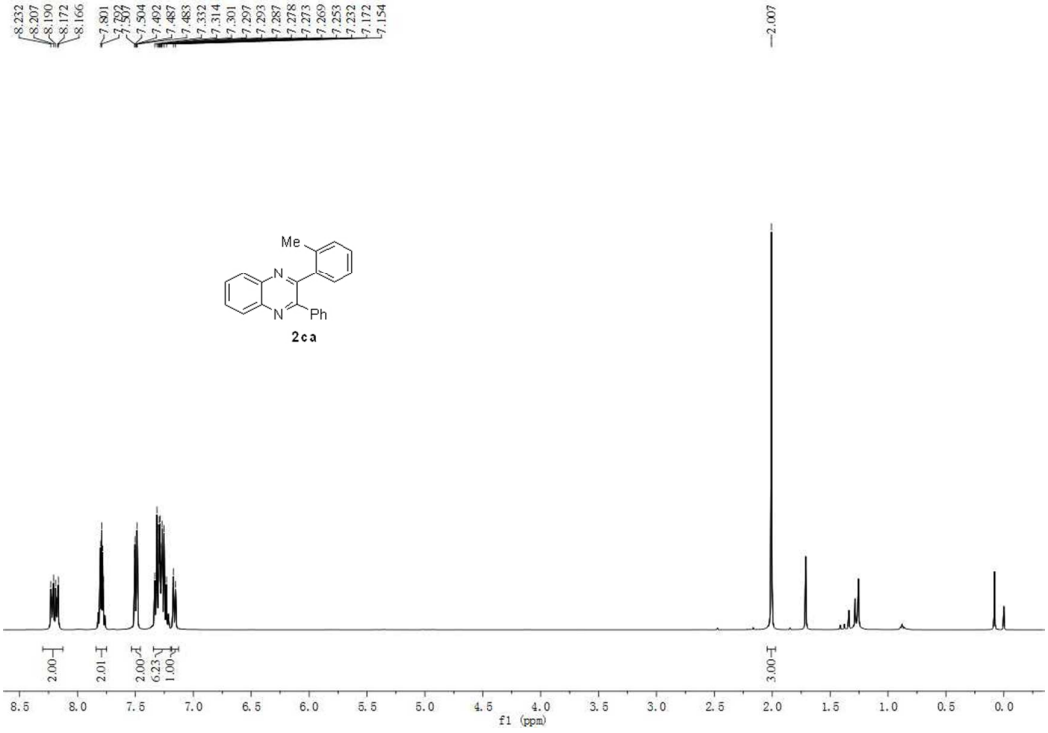
^{1}H NMR spectrum of **2ba**



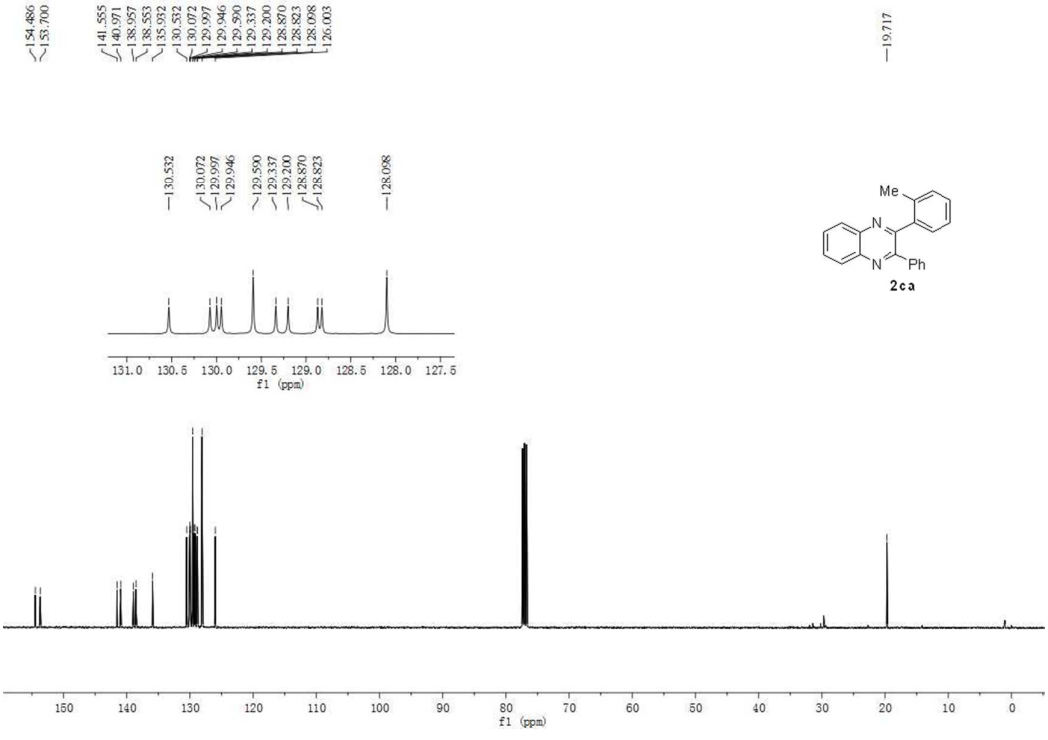
^{13}C NMR spectrum of **2ba**



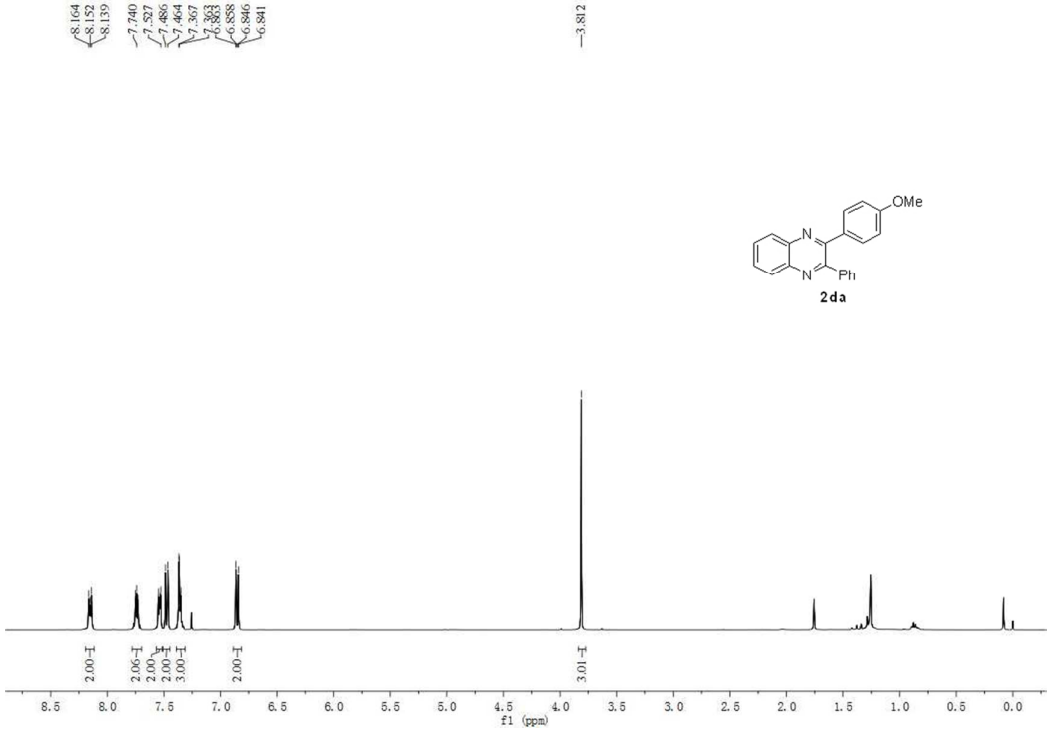
^{1}H NMR spectrum of **2ca**



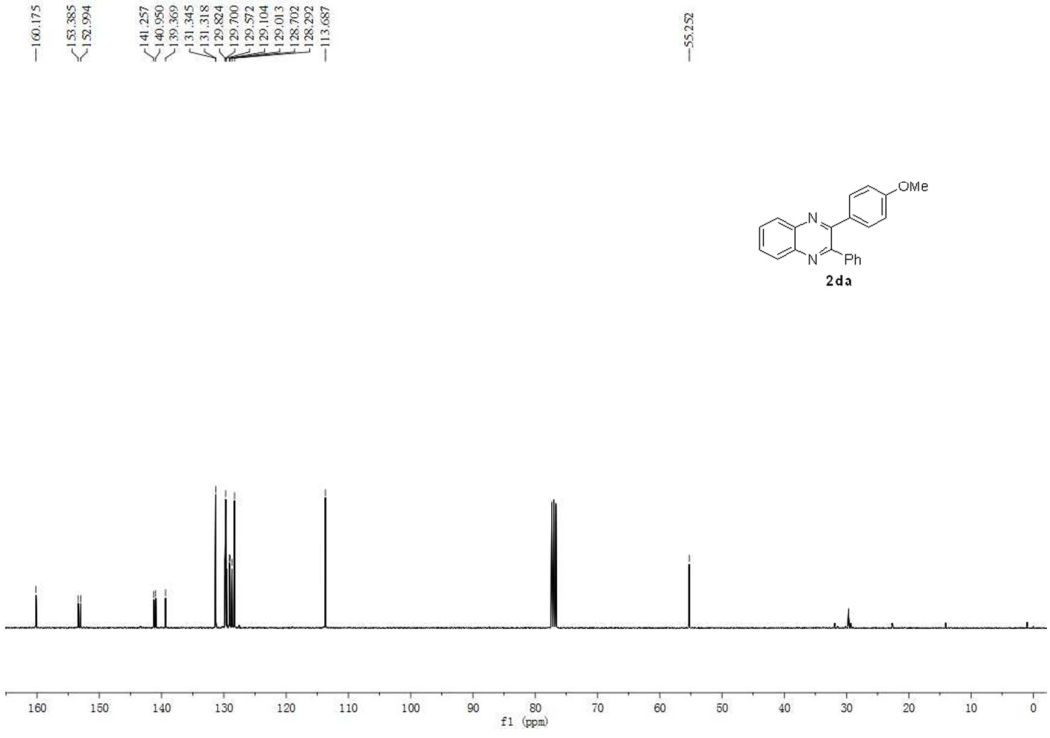
^{13}C NMR spectrum of **2ca**



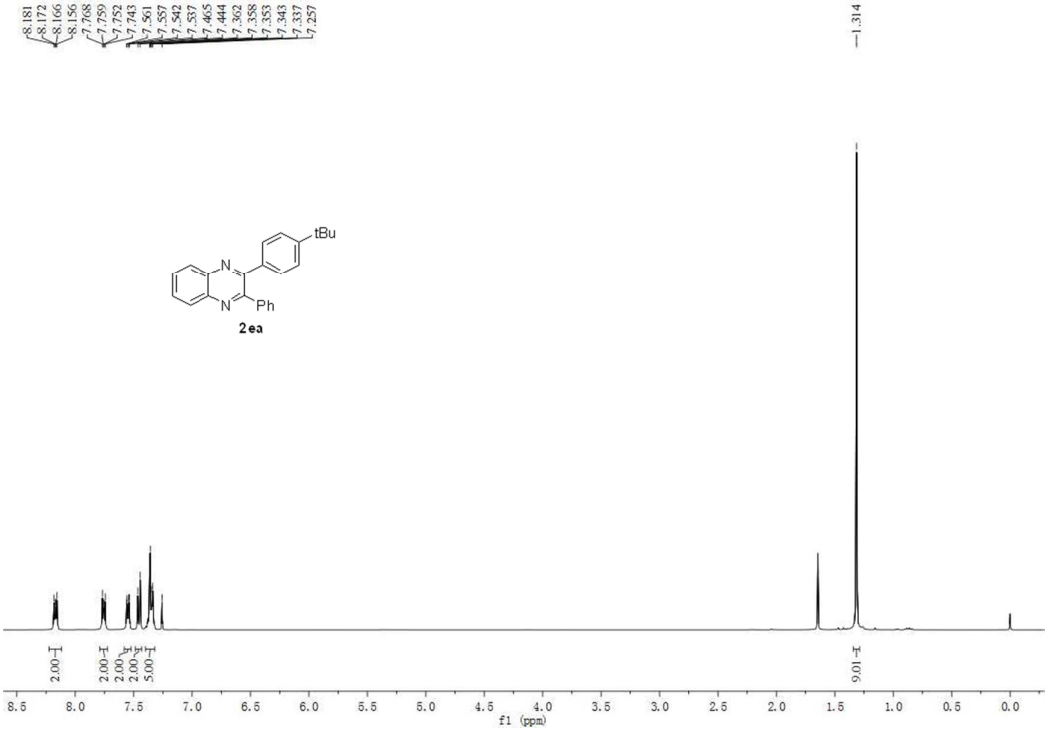
^{1}H NMR spectrum of **2da**



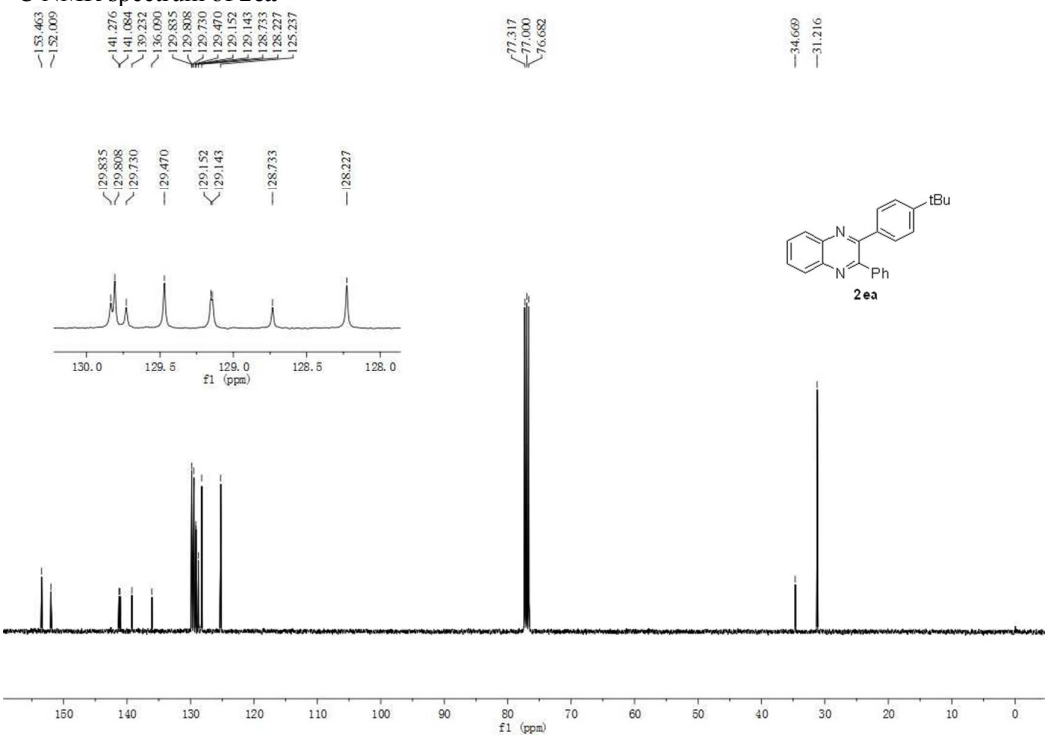
^{13}C NMR spectrum of **2da**



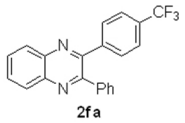
^{1}H NMR spectrum of **2ea**



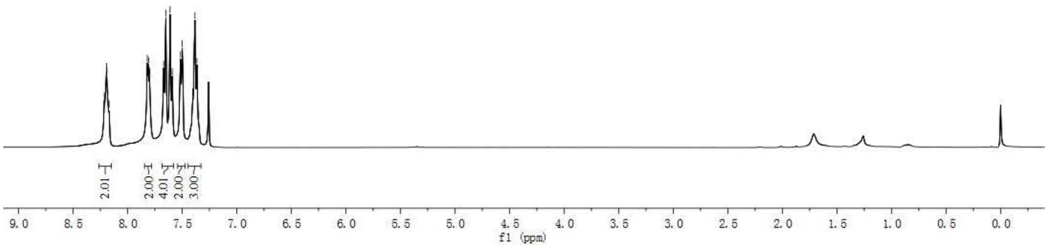
^{13}C NMR spectrum of **2ea**



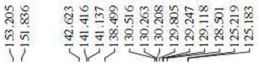
^{1}H NMR spectrum of **2fa**

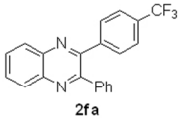


2fa

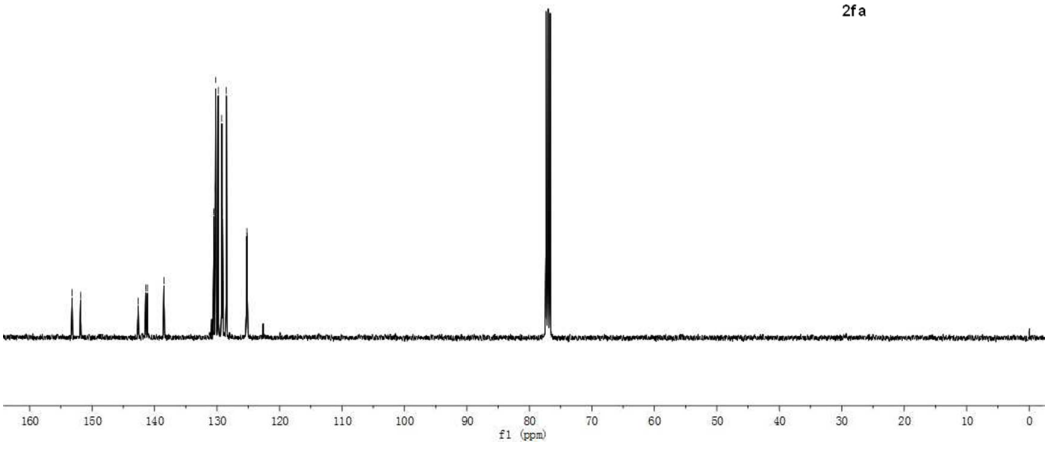


^{13}C NMR spectrum of **2fa**

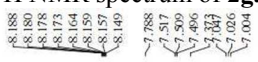


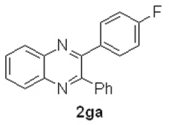


2fa

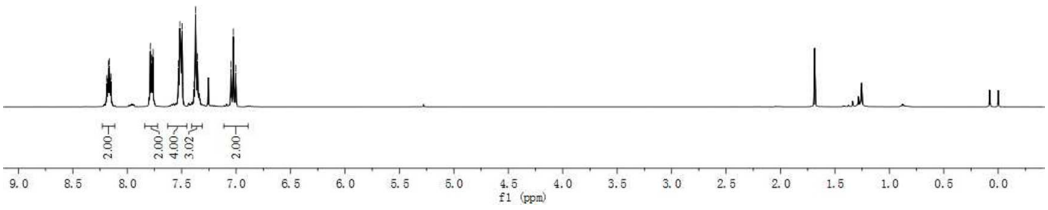


^{1}H NMR spectrum of **2ga**

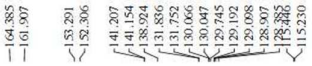


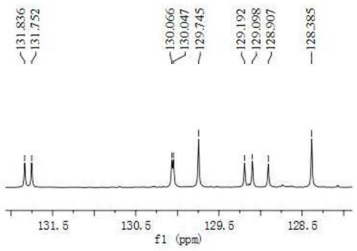


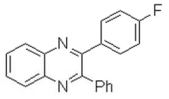
2ga



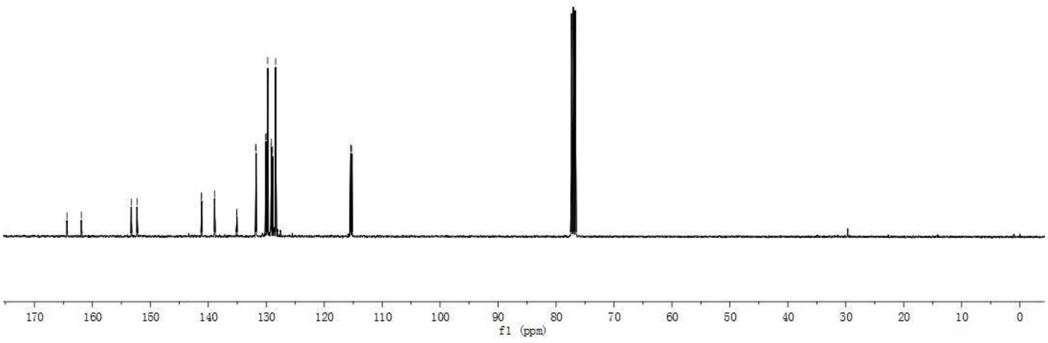
^{13}C NMR spectrum of **2ga**



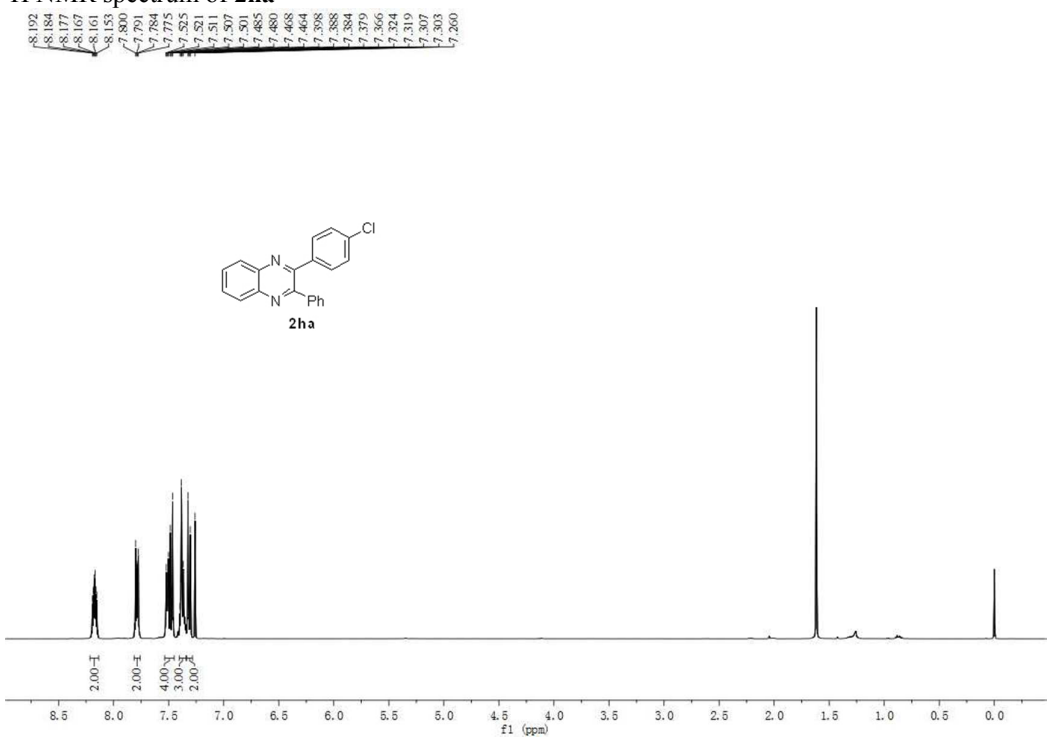




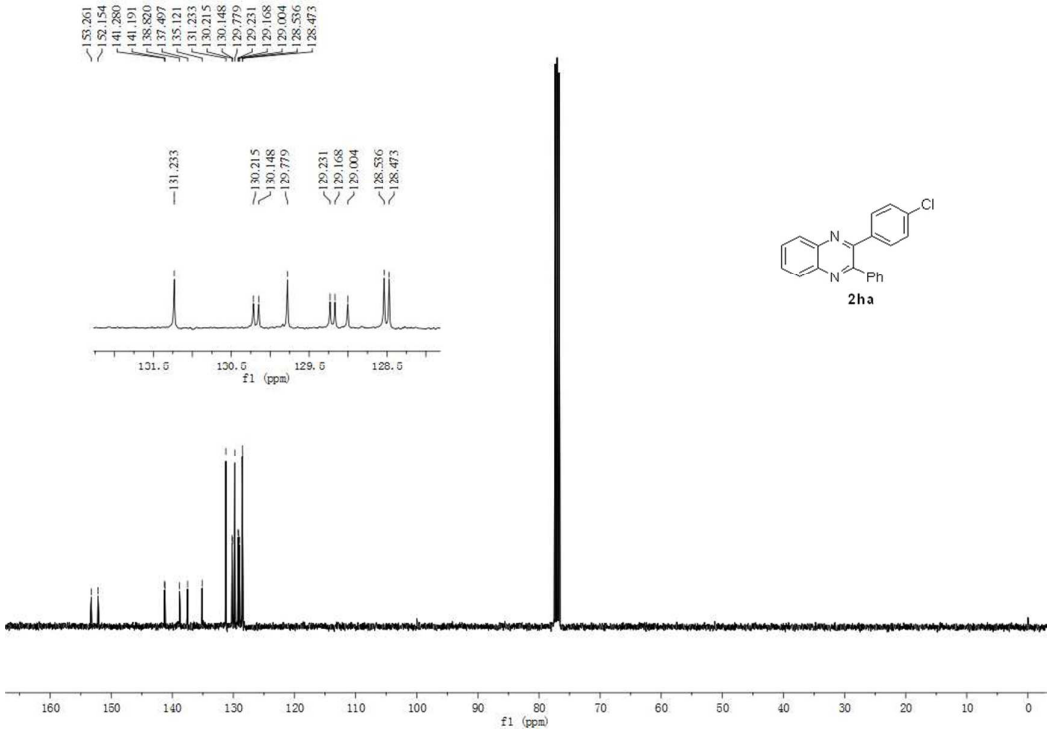
2ga



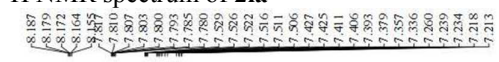
^{1}H NMR spectrum of **2ha**

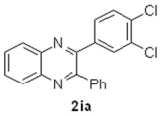


^{13}C NMR spectrum of **2ha**

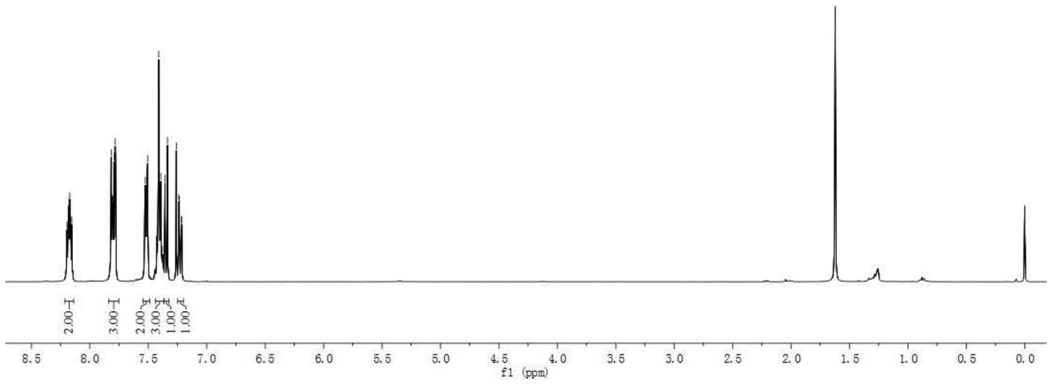


^{1}H NMR spectrum of **2ia**

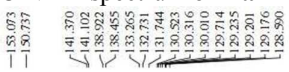


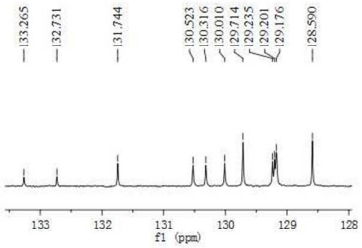


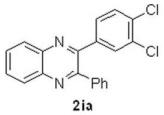
2ia



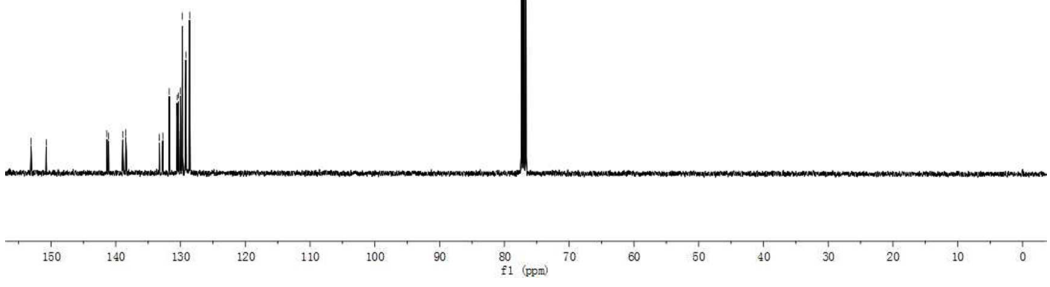
^{13}C NMR spectrum of **2ia**



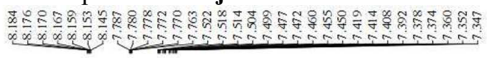


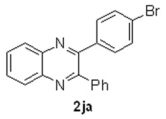


2ia

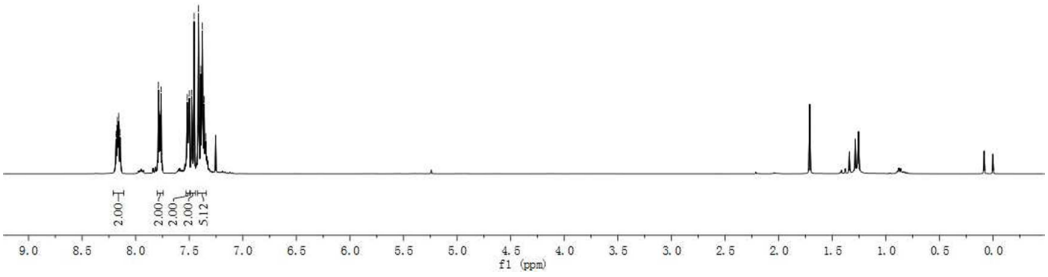


^{1}H NMR spectrum of **2ja**

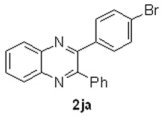




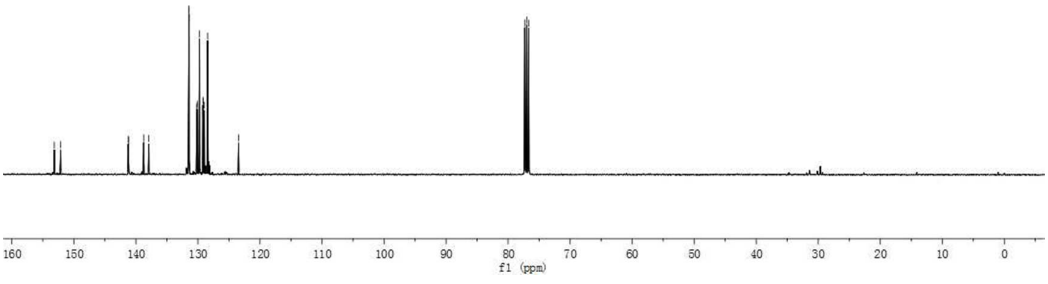
2ja



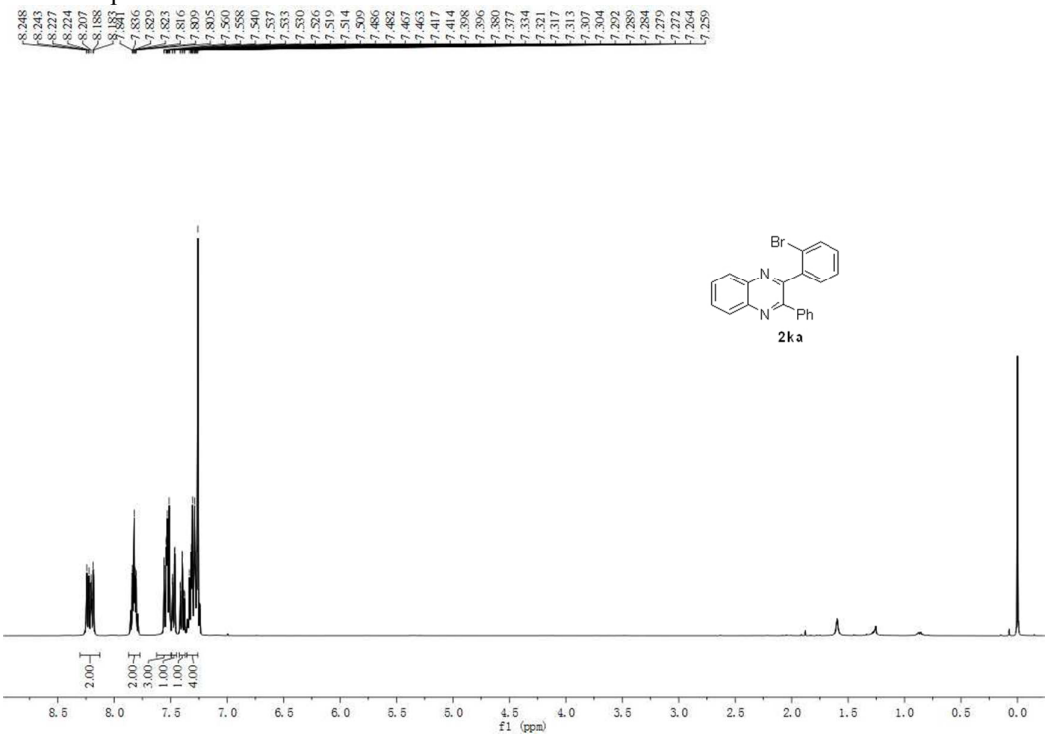
^{13}C NMR spectrum of **2ja**



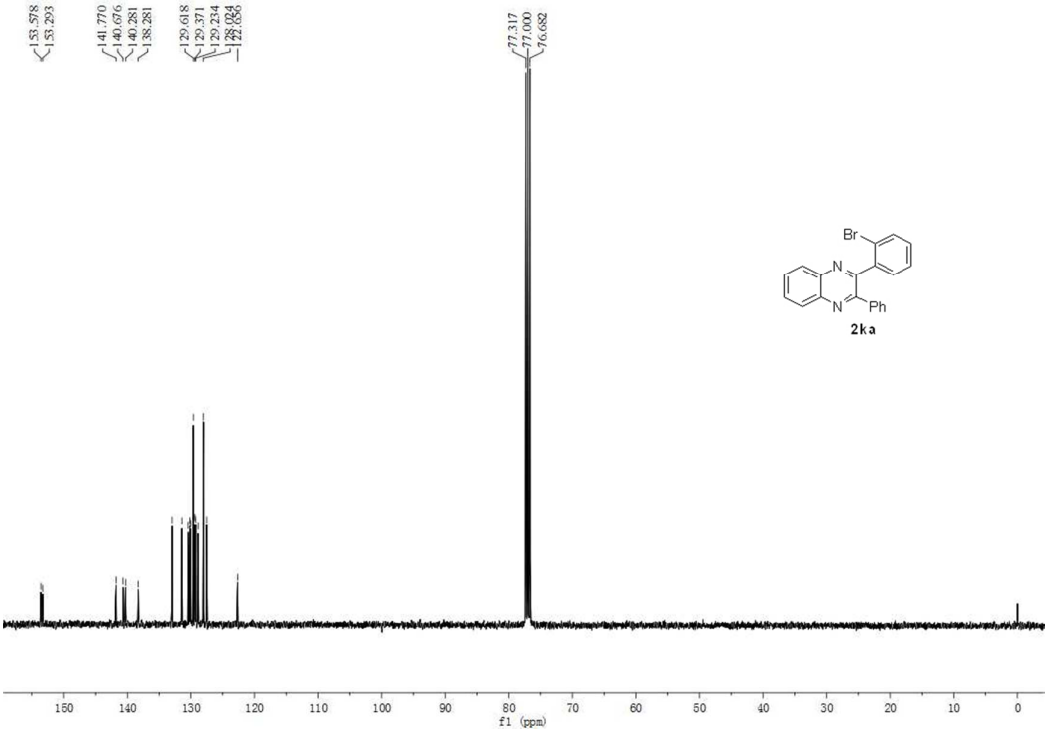
2ja



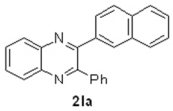
^{1}H NMR spectrum of **2ka**



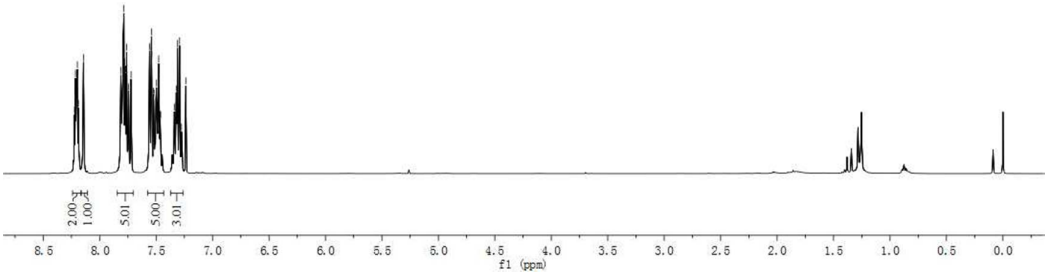
^{13}C NMR spectrum of **2ka**



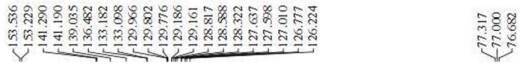
^{1}H NMR spectrum of **2la**

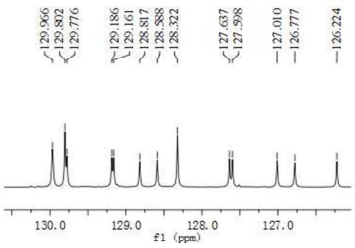


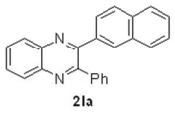
2la



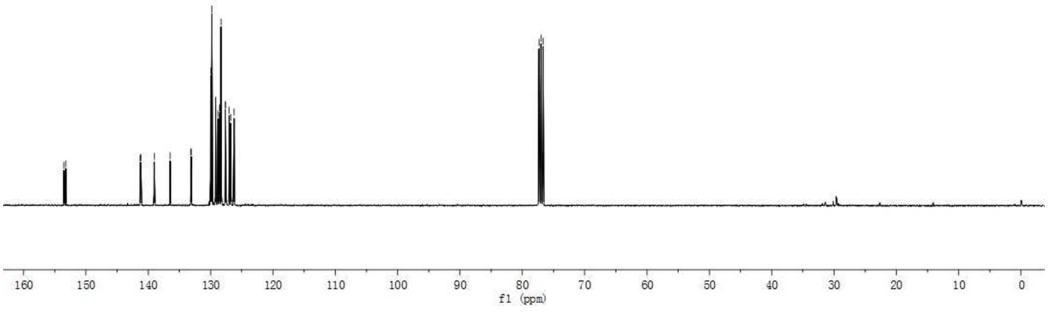
^{13}C NMR spectrum of **2la**



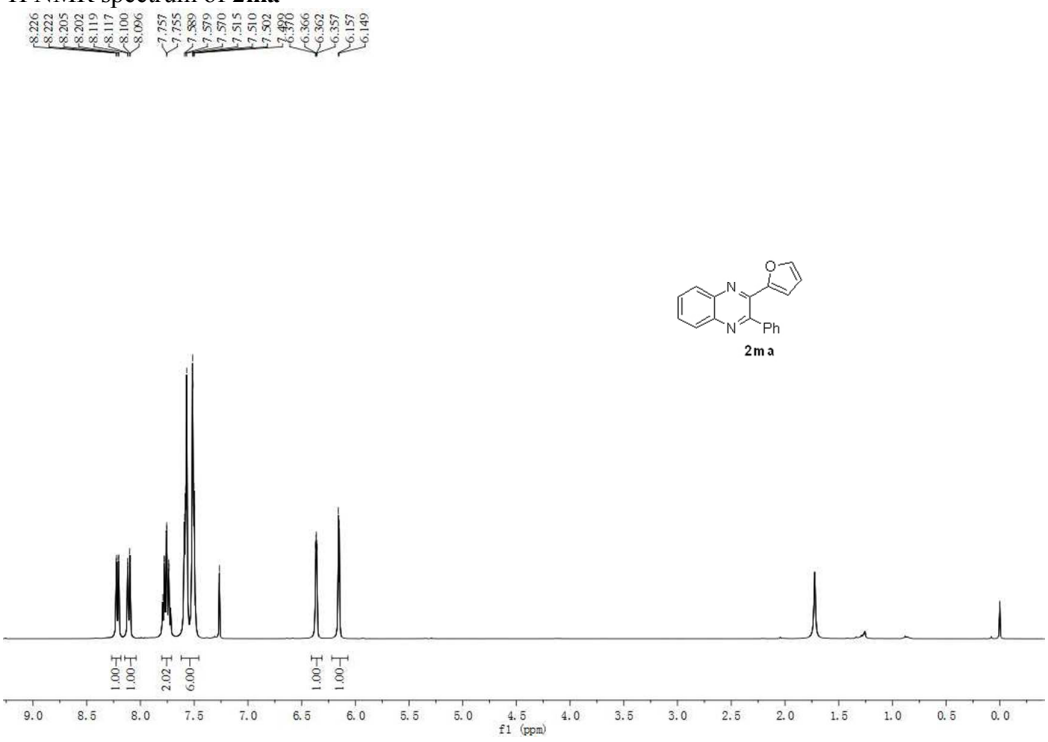




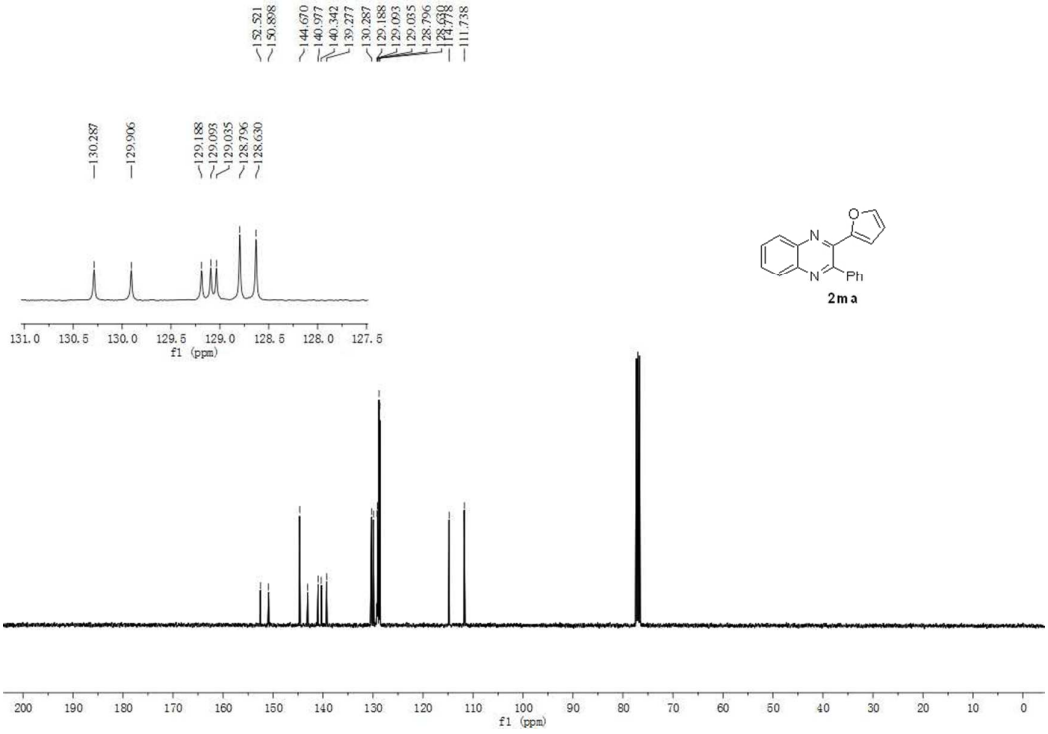
2la



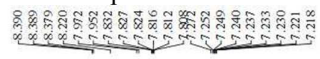
^{1}H NMR spectrum of **2ma**

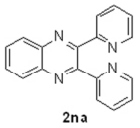


^{13}C NMR spectrum of **2ma**

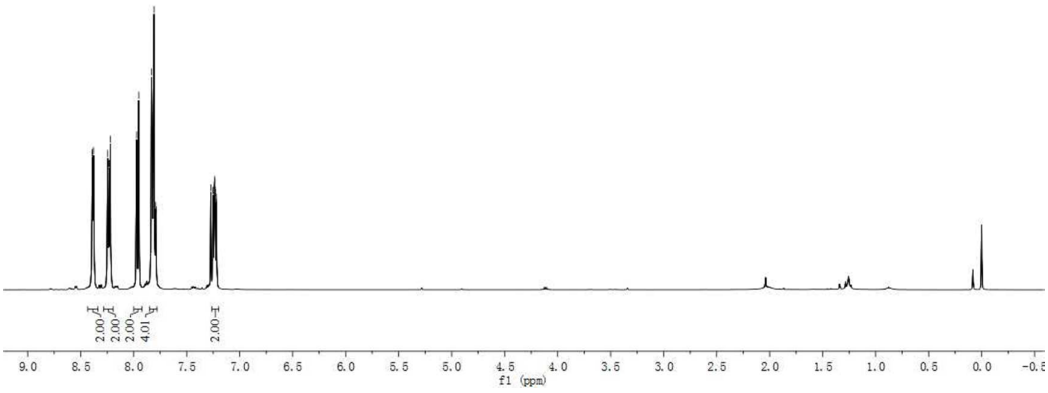


^{1}H NMR spectrum of **2na**

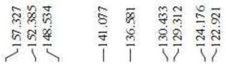


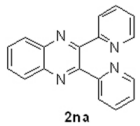


2na

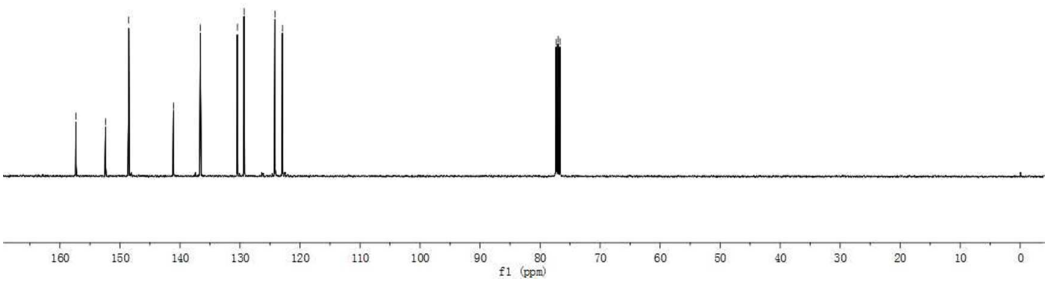


^{13}C NMR spectrum of **2na**

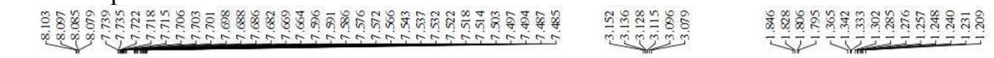


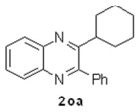


2na

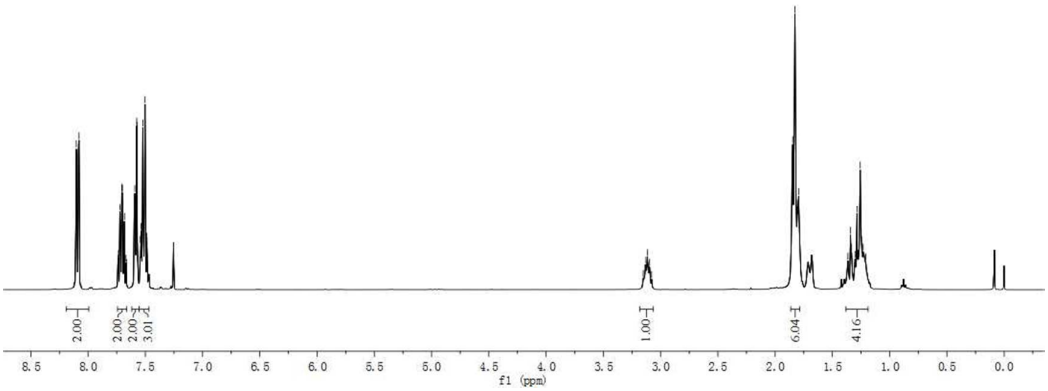


^{1}H NMR spectrum of **2oa**

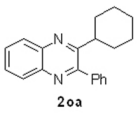




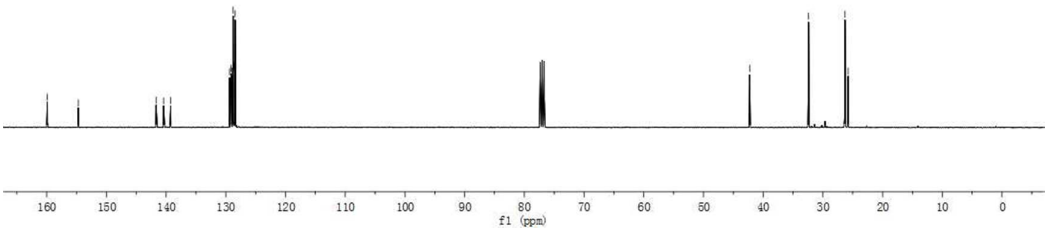
2oa



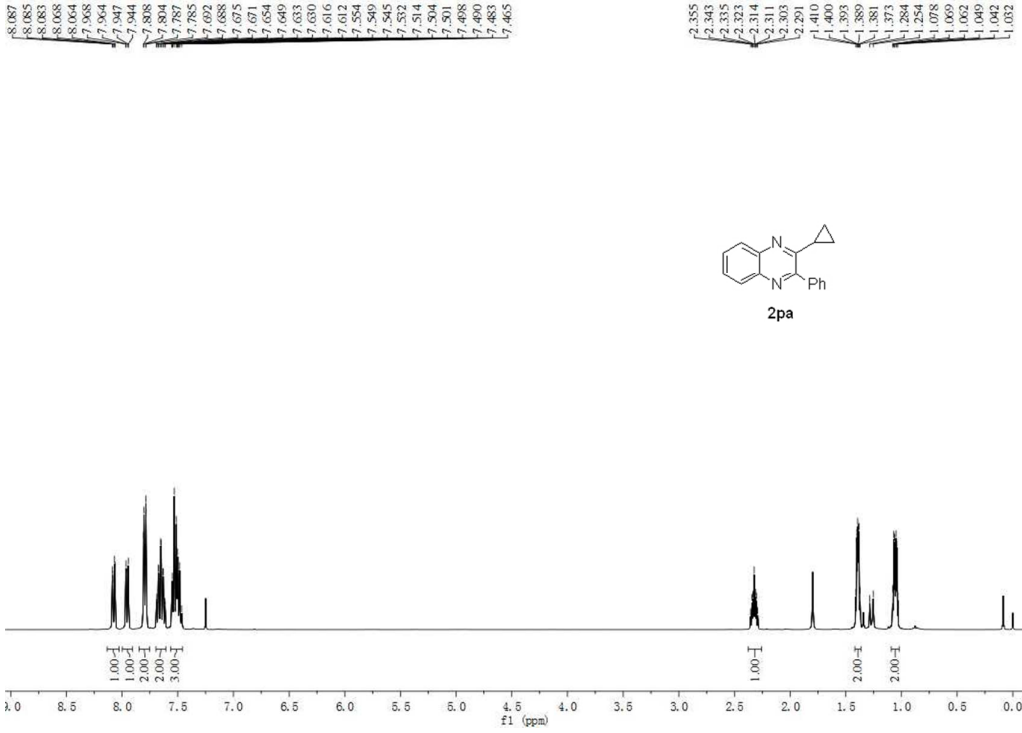
^{13}C NMR spectrum of **2oa**



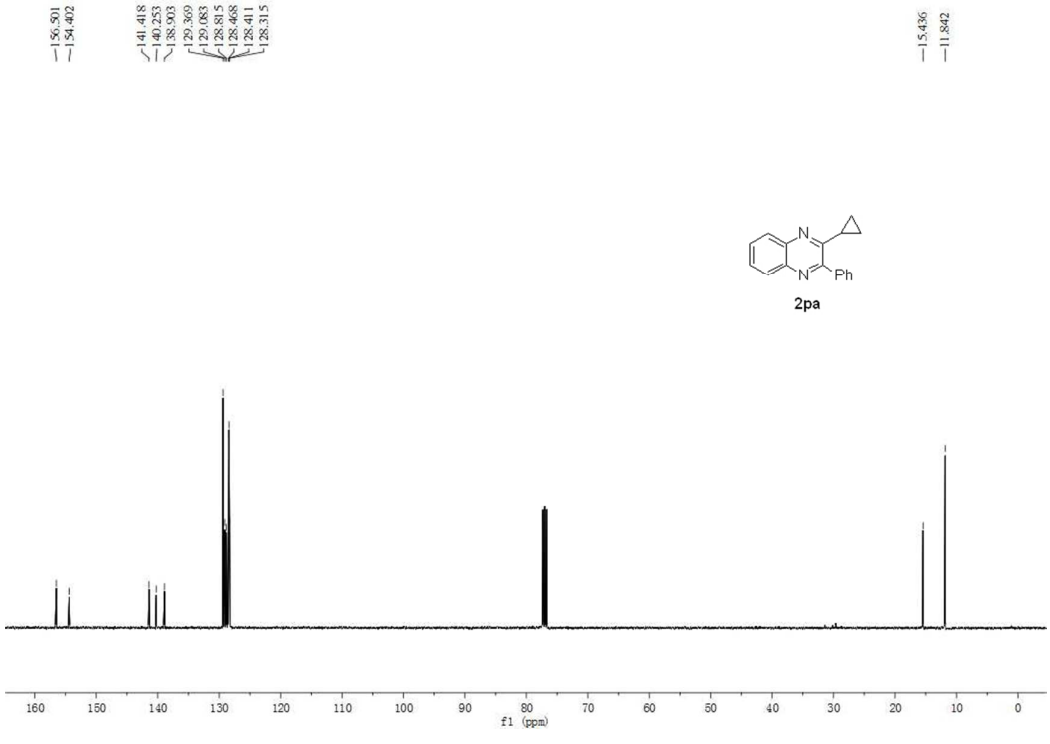
2oa



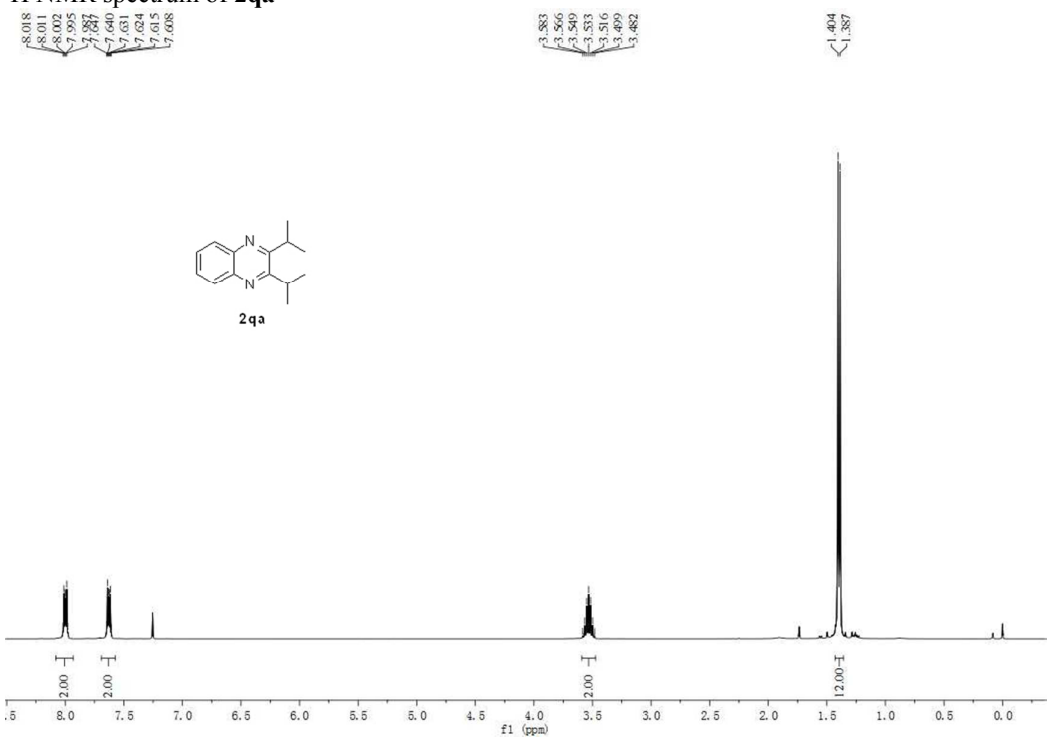
^{1}H NMR spectrum of **2pa**



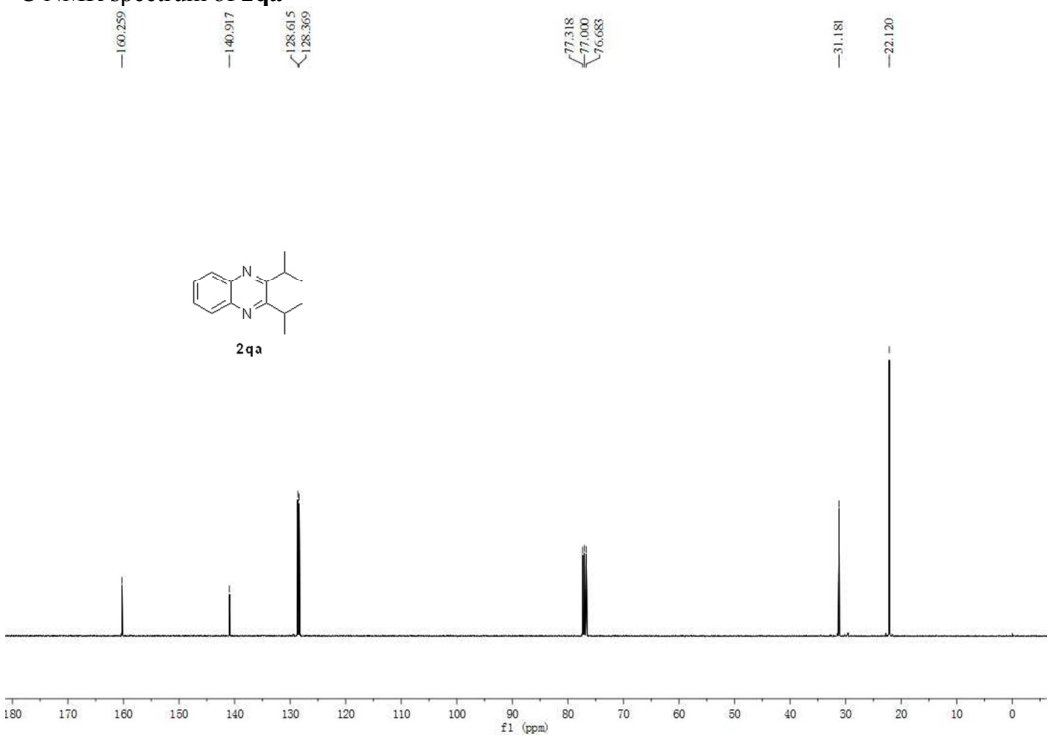
^{13}C NMR spectrum of **2pa**



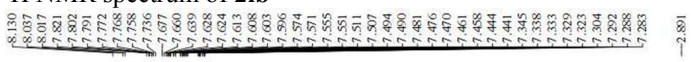
^{1}H NMR spectrum of **2qa**

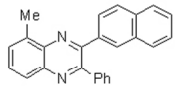


^{13}C NMR spectrum of **2qa**

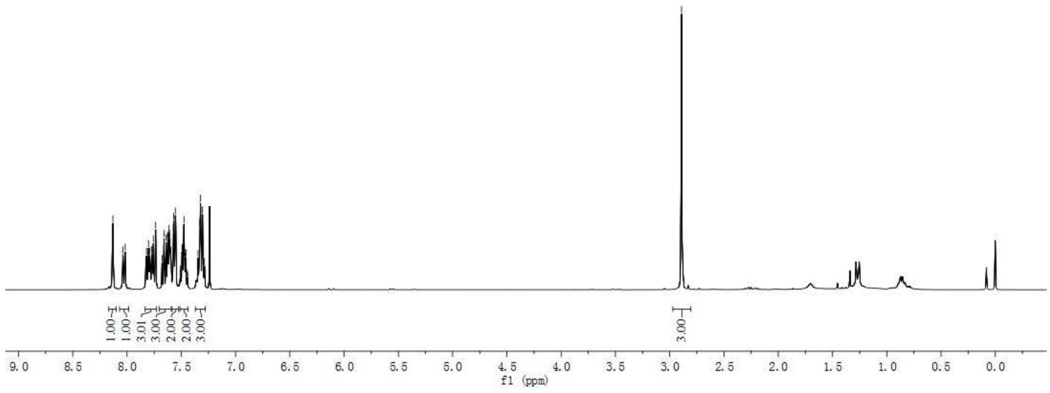


^{1}H NMR spectrum of **2lb**

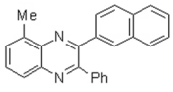




2lb

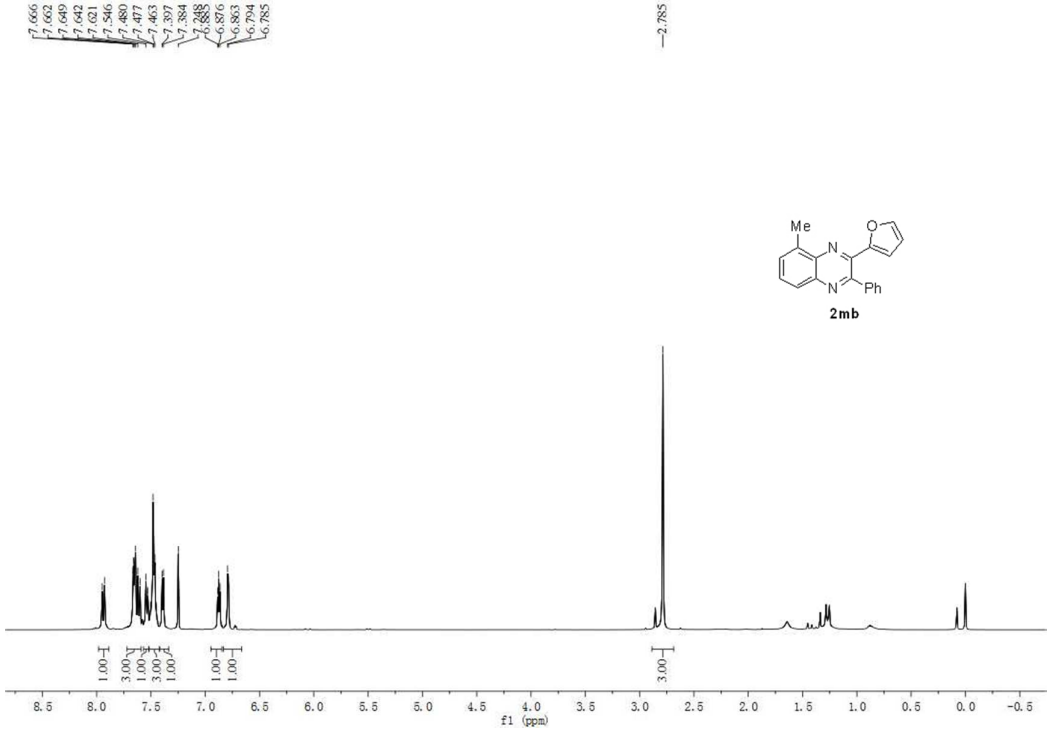


^{13}C NMR spectra of **2lb**

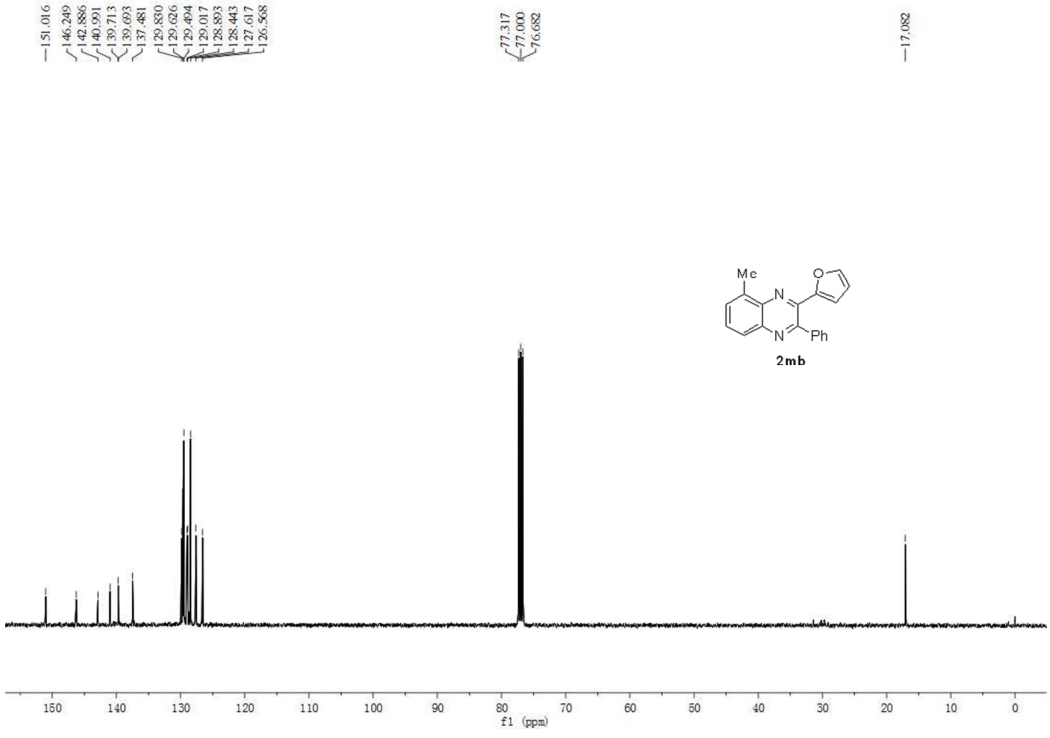


2lb

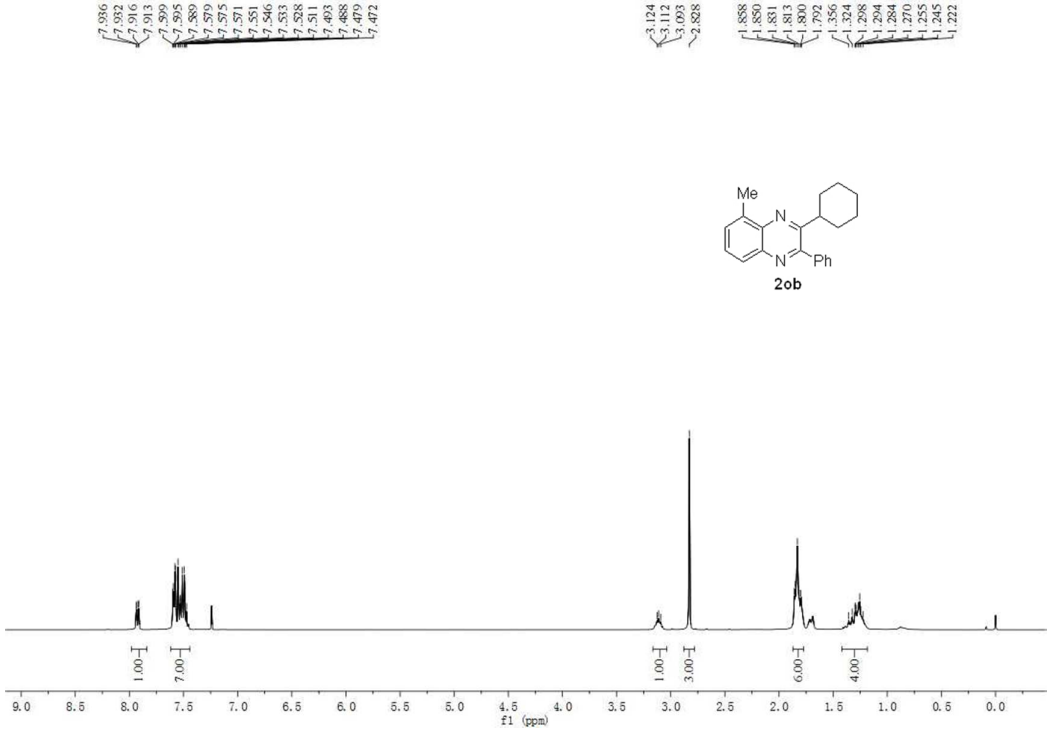
^{1}H NMR spectrum of **2mb**



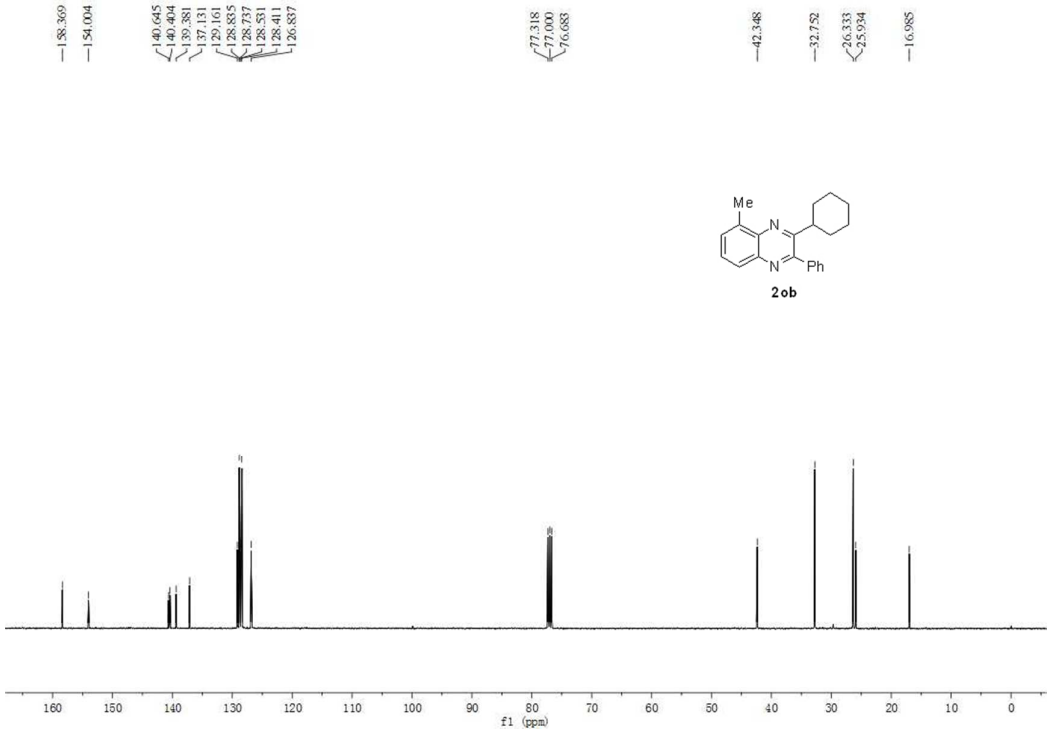
^{13}C NMR spectrum of **2mb**



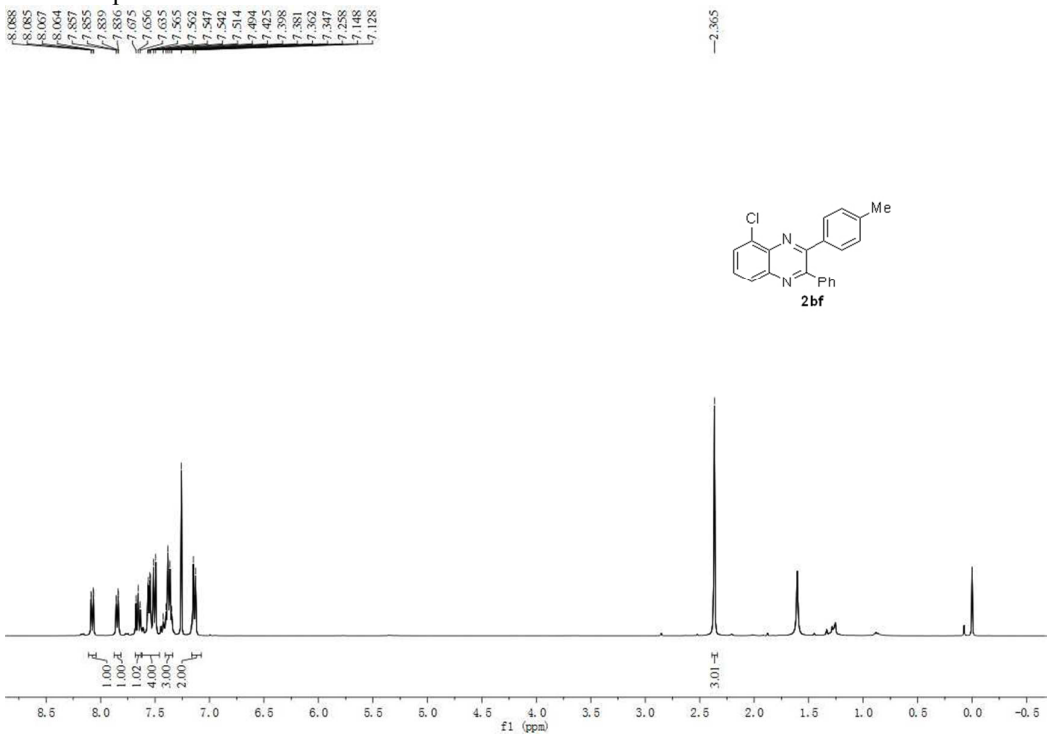
^{1}H NMR spectrum of **2ob**



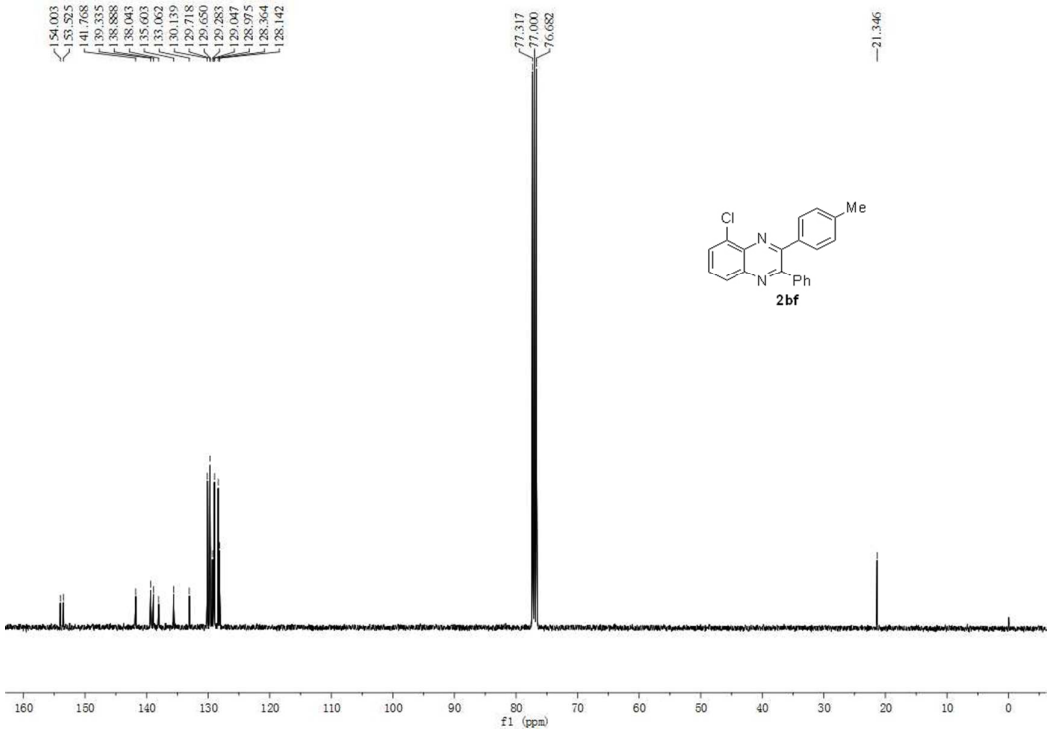
^{13}C NMR spectrum of **2ob**



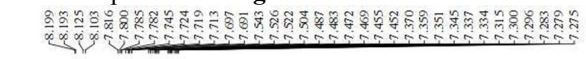
^{1}H NMR spectrum of **2bf**

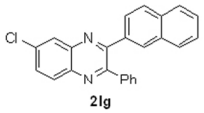


^{13}C NMR spectrum of **2bf**

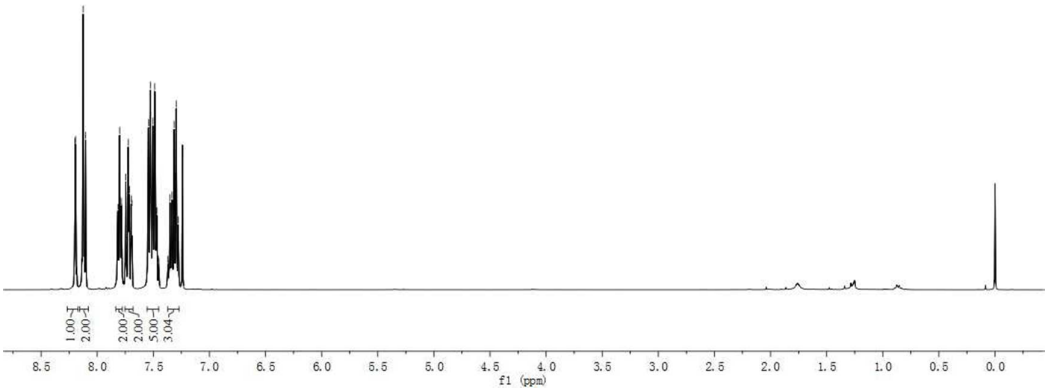


^{1}H NMR spectrum of **2lg**

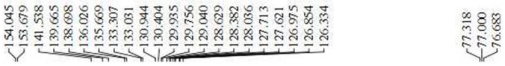


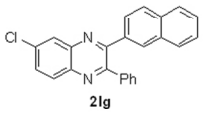


2lg

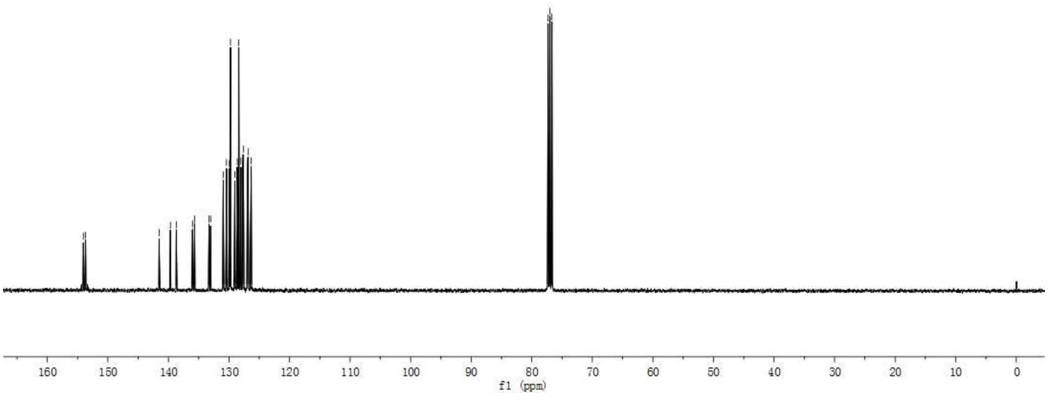


^{13}C NMR spectrum of **2lg**

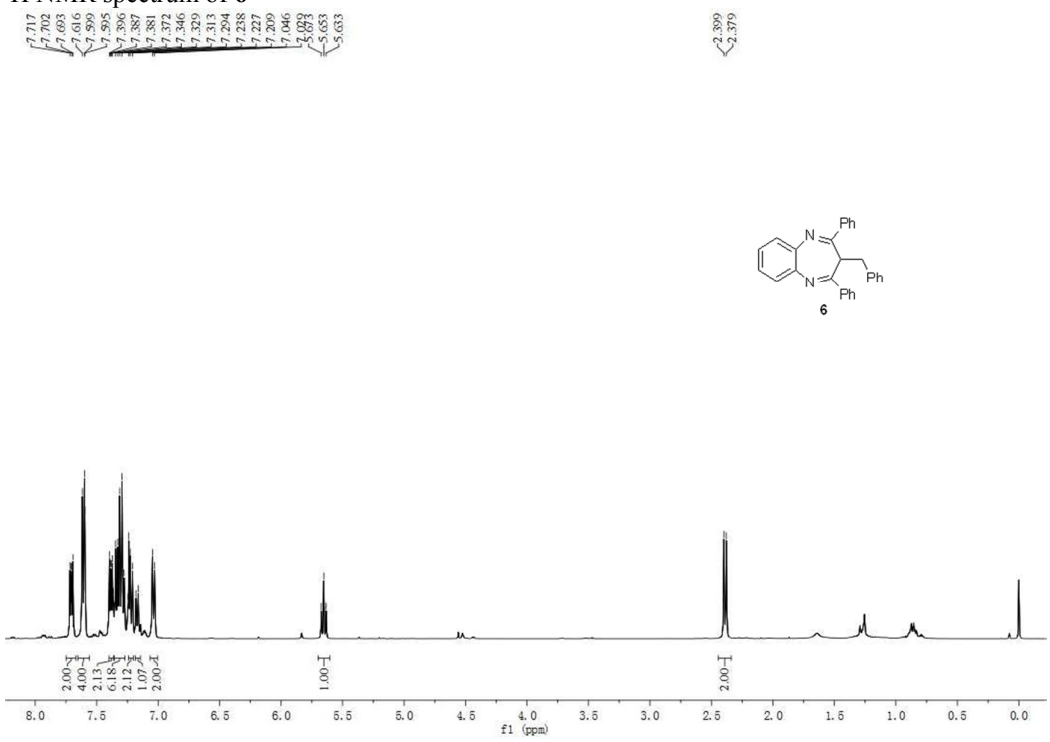




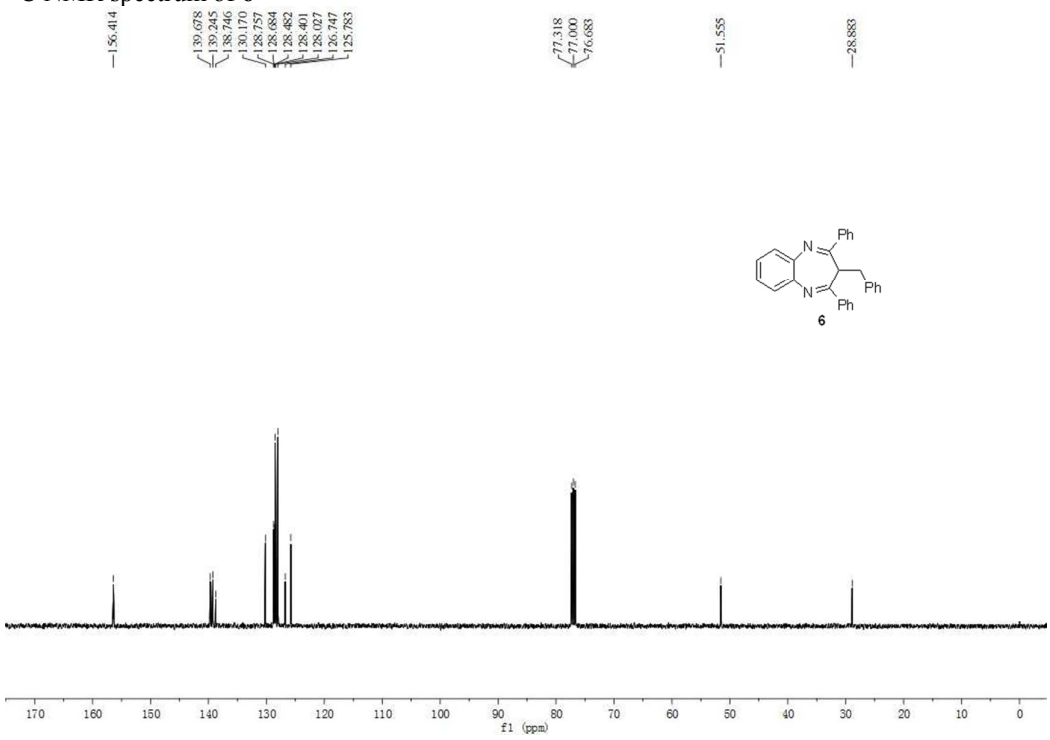
2lg



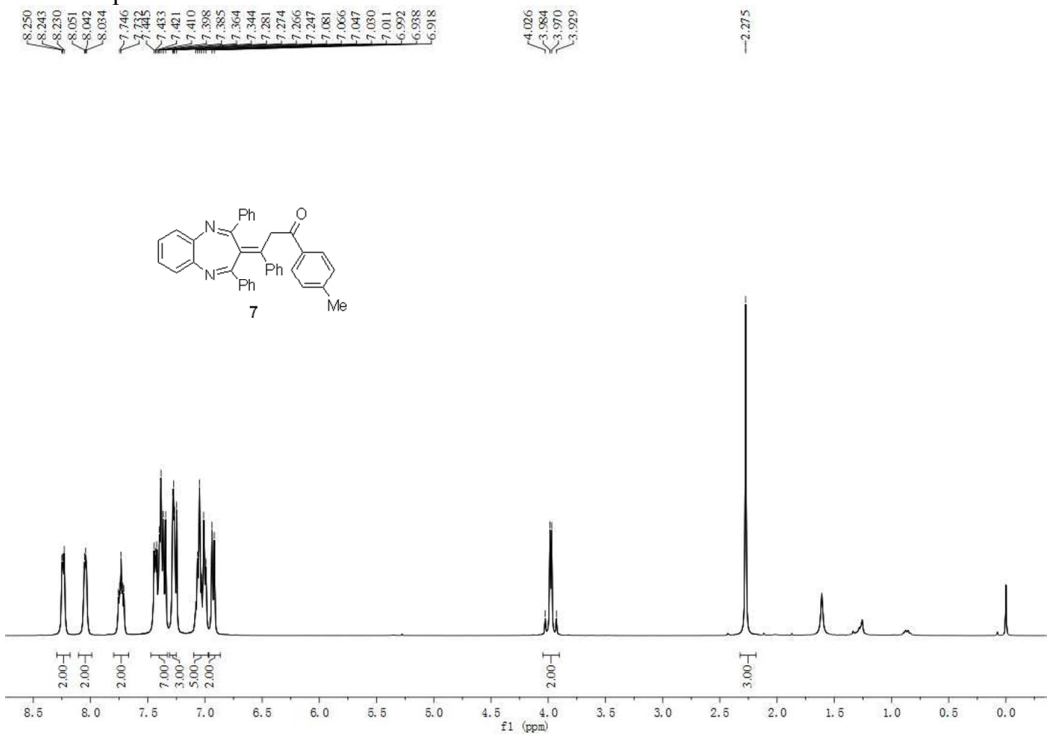
^{1}H NMR spectrum of **6**



^{13}C NMR spectrum of **6**



^{1}H NMR spectrum of **7**



^{13}C NMR spectrum of **7**