***Supporting Information for***

# Copper-catalyzed three-component synthesis of pyrimidines from amidines and alcohols

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## Typical Experimental Procedure

## (A) Remarks

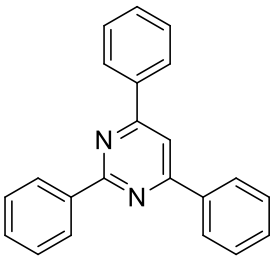
All starting materials and reagents were commercially available and used directly without further purification. All known products gave satisfactory analytical data by NMR spectra, which corresponding to the reported literature values. Unknown compounds were confirmed by HRMS additionally. NMR spectra were determined at room temperature on Bruker Avance-300 or Bruker Avance-500 at 300 MHz or 500 MHz with tetramethylsilane (TMS) as an internal standard. Chemical shifts are given in δ relative to TMS, the coupling constants *J* are given in Hz. High resolution mass spectra (HRMS) were measured on Agilent 6200 LC/MS TOF using APCI in positive mode. Melting points were determined using X-4 micro melting point apparatus and were uncorrected. TLC was performed using commercially available 100–400 mesh silica gel plates (GF254).

## (B) Typical experimental procedure for the synthesis of 4

### Copper-Catalyzed Synthesis of Polysubstituted Pyrimidines

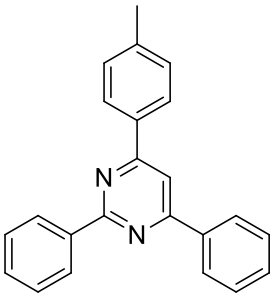
Amidine hydrochloride **1** (0.3 mmol), benzyl alcohol **2** (0.39 mmol) and 1-phenylethanol **3** (0.45 mmol), KOH (0.9 mmol, 3.0 equiv), $Cu(OAc)_2$ (10 mol %), and toluene (2.5 mL) were added to a 20 mL tube with magnetic stirrer bar. The mixture was stirred at 110 ℃ (oil bath temperature) for 24 h under open air. After the reaction was finished, the mixture was cooled to room temperature and extracted with EtOAc (3× 10 mL), washed with brine (10 mL). The combined organic fraction was dried over anhydrous $MgSO_4$, and evaporated under vacuum. The crude product was purified by column chromatography on silica gel using petroleum ether/ethyl acetate (50/1) as an eluent to afford the corresponding products **4a**-**4x**.

**Characterization Data of Products**



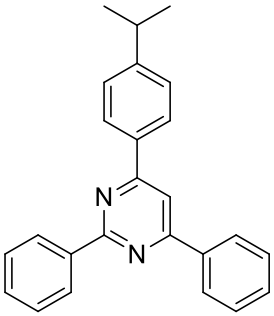
**2,4,6-triphenylpyrimidine (4a)[1]**

The compound **4a** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4a** as a white solid (78 mg, 84% yield). Mp: 186–188 ℃ (Lit. 184–186 ℃). **$^1$H NMR** (300 MHz, $CDCl_3$): δ 8.70–8.67 (m, 2H), 8.25–8.24 (m, 4H), 7.98 (s, 1H), 7.51–7.49 (m, 9H); **$^{13}$C NMR** (75 MHz, $CDCl_3$) δ 164.8, 164.5, 138.1, 137.5, 130.8, 130.7, 128.9, 128.5, 128.5, 127.3, 110.4.



**2,4–diphenyl-6-(p-tolyl)pyrimidine (4b)[1]**

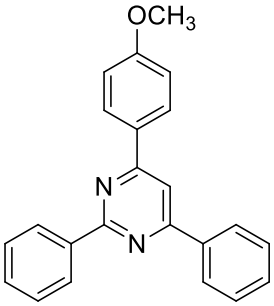
The compound **4b** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4b** as a white solid (80 mg, 83% yield). Mp: 152–154 ℃ (Lit. 150–152 ℃). $^1$H NMR (300 MHz, $CDCl_3$): δ 8.67 (d, *J* = 5.7 Hz, 2H), 8.24 (d, *J* = 4.8 Hz, 2H), 8.15 (d, *J* = 7.8 Hz, 2H), 7.95 (s, 1H), 7.50–7.48 (m, 6H), 7.32 (d, *J* = 7.5 Hz, 2H), 2.41 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.7, 164.6, 164.2, 141.2, 138.2, 137.6, 134.7, 130.7, 130.6, 129.7, 128.9, 128.5, 128.4, 127.3, 127.2, 110.0, 21.5



**4-(4-isopropylphenyl)-2,6-diphenylpyrimidine(4c)[1]**

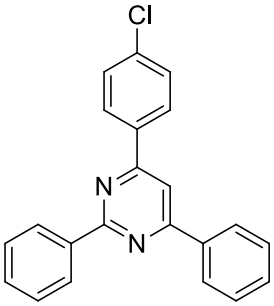
The compound **4c** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4c** as a white solid

(75 mg, 72% yield). Mp: 157–158 ℃. $^{1}$H NMR (500 MHz, $CDCl_3$) δ 8.74 (dd, *J* = 8.0 Hz, 1.5 Hz, 2H), 8.30–8.29 (m, 2H), 8.23 (d, *J* = 8.0 Hz, 2H), 8.00 (s, 1H), 7.59–7.50 (m, 6H), 7.43 (d, *J* = 8.0 Hz, 2H), 3.05–3.00 (m, 1H), 1.33 (d, *J* = 6.5 Hz, 6H); $^{13}$C NMR (125 MHz, $CDCl_3$) δ 165.2, 165.0, 164.8, 152.4, 138.6, 138.0, 135.6, 128.9, 128.8, 127.7, 127.5, 110.5, 34.4, 24.3.



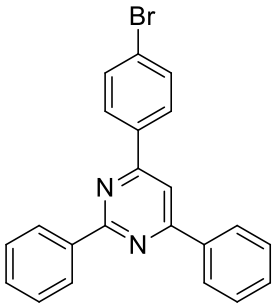

**4-(4-methoxyphenyl)-2,6-diphenylpyrimidine (4d)[2]**

The compound **4d** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4d** as a white solid (86 mg, 85% yield). Mp: 131–133 ℃ (Lit. 135–137 ℃). $^{1}$H NMR (300 MHz, $CDCl_3$) δ 8.69–8.66 (m, 2H), 8.23 (d, *J* = 8.1 Hz, 4H), 7.90 (s, 1H), 7.51–7.48 (m, 6H), 7.02 (d, *J* = 8.4 Hz, 2H), 3.85 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.5, 164.4, 164.2, 161.9, 138.3, 137.7, 130.7, 130.6, 130.0, 128.9, 128.8, 128.4, 127.3, 114.3, 109.4, 55.5.



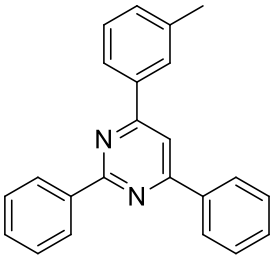

**4-(4-chlorophenyl)-2,6-diphenylpyrimidine (4e)[1]**

The compound **4e** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4e** as a white solid (86 mg, 84% yield). Mp: 159–161 ℃ (Lit. 166–168 ℃). $^{1}$H NMR (300 MHz, $CDCl_3$): δ 8.67–8.65 (m, 2H), 8.24–8.18 (m, 4H), 7.92 (s, 1H), 7.50–7.47 (m, 8H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.9, 164.5, 163.4, 138.0, 137.3, 137.0, 135.9, 130.9, 130.8, 129.1, 128.9, 128.4, 127.3, 109.9.



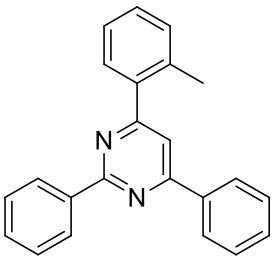

**4-(4-bromophenyl)-2,6-diphenylpyrimidine (4f)[1]**

The compound **4f** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4f** as a white solid (73 mg, 63% yield). Mp: 172–174 ℃ (Lit. 168–170 ℃). $^{1}$H NMR (300 MHz, $CDCl_3$): δ 8.67–8.65 (m, 2H), 8.25–8.22 (m, 2H), 8.12 (d, *J* = 8.4 Hz, 2H), 7.93 (s, 1H), 7.64 (d, *J* = 8.4 Hz, 2H), 7.50–7.48 (m, 6H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 165.0, 164.6, 163.6, 137.9, 137.3, 136.4, 132.1, 130.9, 130.8, 129.0, 128.8, 128.5, 128.4, 127.3, 125.4, 109.9.




**2,4-diphenyl-6-(m-tolyl)pyrimidine (4g)**

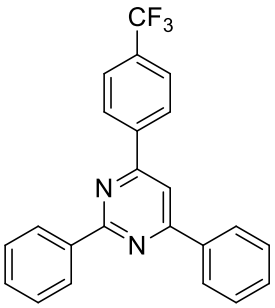
The compound **4g** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4g** as a white solid (72 mg, 75% yield). Mp: 98–100 ℃. $^{1}$H NMR (500 MHz, $CDCl_3$) δ 8.74–8.73 (m, 2H), 8.30 (d, *J* = 6.5 Hz, 2H), 8.11–8.07 (m, 2H), 8.02 (s, 1H), 7.59–7.52 (m, 6H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.36 (d, *J* = 7.5 Hz, 1H), 2.51 (s, 3H); $^{1}$H NMR (300 MHz, $CDCl_3$) δ 165.0, 164.7, 164.5, 138.6, 138.2, 137.6, 137.5, 131.6, 130.8, 130.6, 128.9, 128.8, 128.5, 128.5, 127.9, 127.3, 124.5, 110.4, 21.6. HRMS (APCI) calcd for $C_{23}H_{19}N_2$ $[M+H]^+$ 323.1548, found 323.1545.




**2,4-diphenyl-6-(o-tolyl)pyrimidine (4h)**

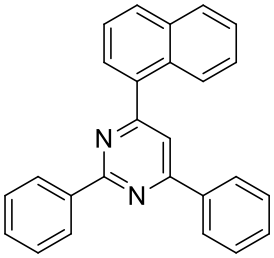
The compound **4h** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4h** as white solid

(50 mg, 52% yield). Mp: 52–54 ℃. $^1$H NMR (500 MHz, $CDCl_3$) δ 8.69–8.67 (m, 2H), 8.30–8.28 (m, 2H), 7.75 (s, 1H), 7.62–7.52 (m, 7H), 7.43–7.36 (m, 3H), 2.59 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 168.2, 164.2, 164.1, 138.7, 138.1, 137.4, 136.5, 131.3, 130.8, 130.6, 129.7, 129.4, 129.0, 128.5, 127.3, 126.2, 20.7. HRMS (APCI) calcd for $C_{23}H_{19}N_2$ $[M+H]^+$ 323.1548, found 323.1549.



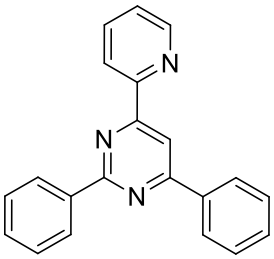

**2,4-diphenyl-6-(4-(trifluoromethyl)phenyl)pyrimidine (4i)**

The compound **4i** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4i** as a white solid (92 mg, 82% yield). Mp: 126–127 ℃. $^1$H NMR (300 MHz, $CDCl_3$): δ 8.67–8.66 (m, 2H), 8.34 (d, *J* = 8.1 Hz, 2H), 8.25–8.24 (m, 2H), 7.98 (s, 1H), 7.77 (d, *J* = 7.8 Hz, 2H), 7.51–7.49 (m, 6H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 165.2, 164.7, 163.3, 140.9, 137.8, 137.2, 132.4(q, *J* = 32.2 Hz), 131.1, 130.9, 129.0, 128.5, 128.5, 127.6, 127.3, 125.9 (q, *J* = 3.7 Hz ), 124.4 (q, *J* = 268.7 Hz), 110.6. HRMS (APCI) calcd for $C_{23}H_{16}F_3N_2$ $[M+H]^+$ 377.1260, found 377.1253.



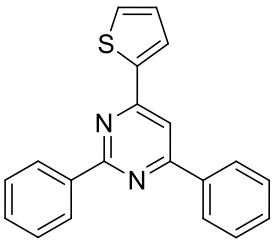

**4-(naphthalen-1-yl)-2,6-diphenylpyrimidine (4j)[2]**

The compound **4j** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4j** as a white solid (86 mg, 80% yield). Mp: 153–154 ℃ (Lit. 153–155 ℃). $^1$H NMR (300 MHz, $CDCl_3$) δ 8.75–8.72 (m, 3H), 8.37–8.28 (m, 3H), 8.12 (s, 1H), 8.01–7.86 (m, 3H), 7.54–7.51 (m, 8H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.8, 164.6, 164.6, 138.2, 137.6, 134.6, 133.3, 130.8, 130.7, 129.1, 129.0, 128.7, 128.5, 128.5, 127.8, 127.4, 127.3, 126.6, 110.5.



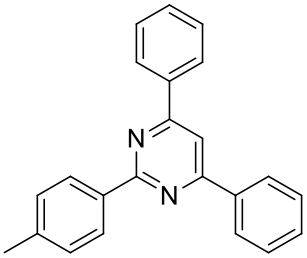
**2,4-diphenyl-6-(pridin-2-yl)-pyrimidine (4k)**

The compound **4k** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4k** as a white solid (66 mg, 72% yield). Mp: 162–163 $^{o}$C; $^{1}$H NMR (300 MHz, $CDCl_3$) δ 10.15 (s, 1H), 9.41–9.38 (m, 3H), 9.30(d, *J* = 7.8 Hz,1H), 8.98 (dd, *J* = 7.2 Hz, 3.9 Hz, 2H), 8.71(s, 1H), 8.26-8.18 (m, 6H), 7.93 (s, 1H); $^{13}$C NMR (300 MHz, $CDCl_3$) δ 165.1, 164.7, 162.3, 151.4, 148.7, 131.1, 130.9, 129.0, 128.5, 128.5, 127.3, 123.7, 110.2. HRMS (APCI) calcd for $C_{21}H_{16}N_3$ $[M+H]^+$ 310.1344, found 310.1337.



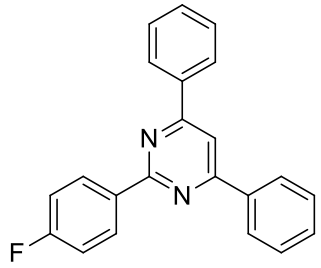
**2,4-diphenyl-6-(thiophen-2-yl)pyrimidine (4l)**

The compound **4l** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4l** as a white solid (60 mg, 64% yield). Mp: 169–172 ℃. $^{1}$H NMR (500 MHz, $CDCl_3$): δ 8.69–8.68 (m, 2H), 8.27 (d, *J* = 7.0 Hz, 2H), 7.94 (d, *J* = 3.0 Hz, 1H) 7.87 (s, 1H), 7.57–7.52 (m, 7H), 7.22 (t, *J* = 4.0 Hz, 1H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.6, 164.5, 159.7, 143.4, 137.8, 137.3, 130.9, 130.8, 129.8, 128.9, 128.6, 128.5, 128.3, 127.3, 127.1, 108.4. HRMS (APCI) calcd for $C_{20}H_{15}N_2S$ $[M+H]^+$ 315.0956, found 315.0947.



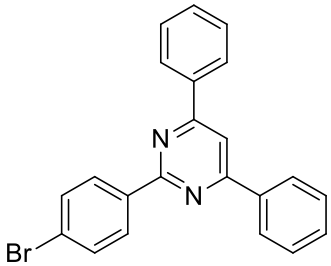
**4,6-diphenyl-2-(p-tolyl)pyrimidine (4m)**

The compound **4m** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4m** as a white solid (82 mg, 85% yield). Mp: 196–198 ℃. $^1$H NMR (300 MHz, $CDCl_3$) δ 8.58 (d, *J* = 7.8 Hz, 2H), 8.25–8.23 (m, 4H), 7.94 (s, 1H), 7.52–7.50 (m, 6H), 7.29 (d, *J* = 7.8 Hz, 2H), 2.41 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.7, 164.6, 140.9, 137.7, 135.5, 130.7, 129.2, 128.9, 128.5, 127.3, 110.1, 21.5. HRMS (APCI) calcd for $C_{23}H_{19}N_2$ $[M+H]^+$ 323.1543, found 323.1537.



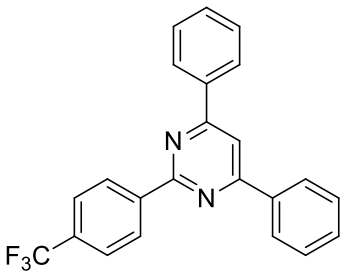

**2-(4-fluorophenyl)-4,6-diphenylpyrimidine (4n)[3]**

The compound **4n** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4n** as a white solid (81 mg, 83% yield). Mp: 207–209 ℃. $^1$H NMR (300 MHz, $CDCl_3$): δ 8.71–8.66 (m, 2H), 8.23–8.22 (m, 4H), 7.96 (s, 1H), 7.52–7.51 (m, 6H), 7.19–7.13 (m, 2H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.8, 164.7 (d, *J* = 248.6 Hz), 163.6, 137.4, 134.3 (d, *J* = 2.7 Hz), 130.9, 130.6 (d, *J* = 8.6 Hz), 128.9, 127.3, 115.4 (d, *J* = 21.4 Hz), 110.2.



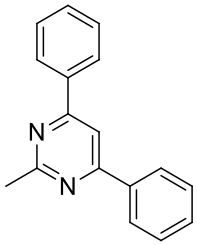

**2-(4-bromophenyl)-4,6-diphenylpyrimidine (4o)[2]**

The compound **4o** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4o** as a white solid (100 mg, 86% yield). Mp: 228–230 ℃ (Lit. 235–237 ℃). $^1$H NMR (300 MHz, $CDCl_3$) δ 8.55 (d, *J* = 8.4 Hz, 2H), 8.22–8.21 (m, 4H), 7.98 (s, 1H), 7.61 (d, *J* = 8.4 Hz, 2H), 7.52–7.51 (m, 6H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.9, 163.6, 137.3, 137.1, 131.6, 130.9, 130.1, 129.0, 127.3, 125.4, 110.5.



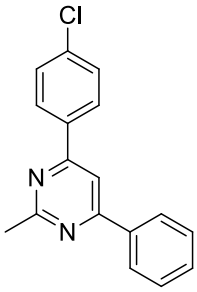

**4,6-diphenyl-2-(4-(trifluoromethyl)phenyl)pyrimidine (4p)**

The compound **4p** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4p** as a white solid (95 mg, 84% yield). Mp: 205–206 ℃. $^{1}$H NMR (500 MHz, $CDCl_3$) δ 8.84 (d, *J* = 8.0 Hz, 2H), 8.30–8.28 (m, 4H), 8.01 (s, 1H), 7.79 (d, *J* = 8.5 Hz, 2H), 7.59–7.56 (m, 6H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 165.0, 163.2, 141.4, 137.2, 132.2 (q, *J* = 32.1 Hz), 131.0, 129.0, 128.7, 127.3, 125.4 (q, *J* = 3.6 Hz), 110.9. HRMS (APCI) calcd for $C_{23}H_{16}F_3N_2$ $[M+H]^+$ 377.1266, found 377.1265.



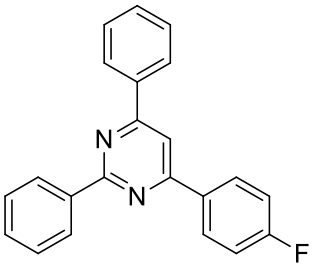

**2-methyl-4,6-diphenylpyrimidine (4q)[4]**

The compound **4q** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4q** as a white solid (34 mg, 46% yield). Mp: 89–90 ℃ (Lit. 93–94 ℃). $^{1}$H NMR (500 MHz, $CDCl_3$): δ 8.14–8.13 (m, 4H), 7.90 (s, 1H), 7.54–7.53 (m, 6H), 2.90 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 168.5, 164.9, 137.5, 130.7, 129.0, 127.3, 110.1, 26.5.



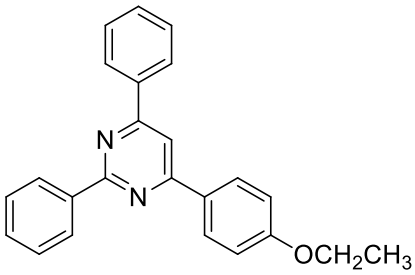

**4-(4-chlorophenyl)-2-methyl-6-phenylpyrimidine (4r)[5]**

The compound **4r** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4r** as a white solid (35 mg, 42% yield). Mp: 98–100 $^{o}$C (Lit. 95–96 ℃). $^{1}$H NMR (300 MHz, $CDCl_3$): δ 8.07–8.03 (m, 4H), 7.81 (s, 1H), 7.48–7.40 (m, 5H), 2.81 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 168.7, 165.1, 163.6, 137.3, 136.9, 135.9, 130.8, 129.2, 129.0, 128.6, 127.3, 109.8, 26.5.



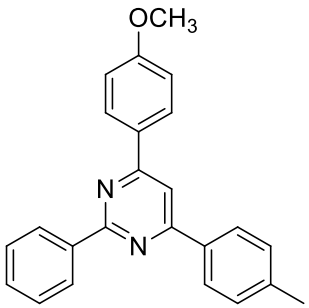

**4-(4-fluorophenyl)-2,6-diphenylpyrimidine (4s)**[1]

The compound **4s** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4s** as a white solid (79 mg, 81% yield). Mp: 152–154 ℃ (Lit. 168–170 ℃). $^{1}$H NMR (500 MHz, $CDCl_3$) δ 8.72–8.70 (m, 2H), 8.32–8.28 (m, 4H), 7.97 (s, 1H), 7.58–7.52 (m, 6H), 7.26–7.23 (m, 2H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.9, 164.6 (d, *J* = 249.3 Hz), 164.5, 163.7, 138.0, 137.4, 133.7, 130.9, 130.7, 129.3 (d, *J* = 8.6 Hz), 129.0, 128.5, 128.5, 127.3, 116.0 (d, *J* = 21.6 Hz), 110.0.




**4-(4-ethoxyphenyl)-2,6-diphenylpyrimidine (4t)**

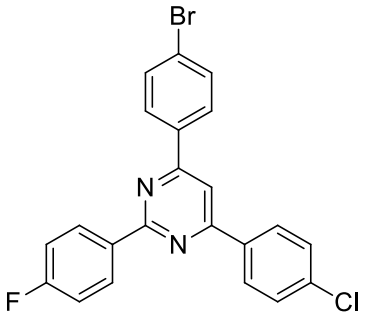
The compound **4t** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4t** as a white solid (88 mg, 83% yield). Mp: 140–142 ℃. $^{1}$H NMR (300 MHz, $CDCl_3$) δ 8.67 (d, *J* = 7.5 Hz, 2H), 8.24–8.21 (m, 4H), 7.90 (s, 1H), 7.49–7.47 (m, 6H), 7.01 (d, *J* = 8.7 Hz, 2H), 4.10 (q, *J* = 6.9, 2H), 1.42 (t, *J* = 6.9 Hz, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.4, 164.2, 161.3, 138.8, 137.7, 134.1, 130.7, 130.5, 129.7, 128.9, 128.8, 128.5, 128.4, 127.3, 114.7, 109.4, 63.7, 14.8. HRMS (APCI) calcd for $C_{24}H_{21}N_2O$ $[M+H]^+$ 353.1654, found 353.1651.




**4-(4-methoxyphenyl)-2-phenyl-6-(p-tolyl)pyrimidine (4u)**

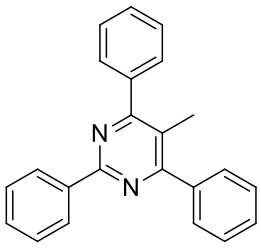
The compound **4u** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4u** as a white solid

(83 mg, 79% yield). Mp: 149–151 ℃. $^1$H NMR (300 MHz, $CDCl_3$): δ 8.66 (d, *J* = 5.7 Hz, 2H), 8.22 (d, *J* = 8.4 Hz, 2H), 8.14 (d, *J* = 7.8 Hz, 2H), 7.88 (s, 1H), 7.49–7.30 (m, 5H), 7.02 (d, *J* = 8.7 Hz, 2H), 3.86 (s, 3H), 2.41 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 164.3, 164.2, 164.0, 161.9, 141.0, 138.4, 134.9, 130.5, 130.0, 129.6, 128.5, 128.4, 127.2, 114.2, 109.0, 55.4, 21.5. HRMS (APCI) calcd for $C_{24}H_{21}N_2O$ $[M+H]^+$ 353.1654, found 353.1653.



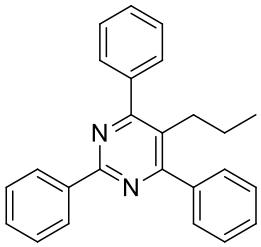

**4-(4-bromophenyl)-6-(4-chlorophenyl)-2-(4-fluorophenyl)pyrimidine (4v)**

The compound **4v** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4v** as a white solid (68 mg, 52% yield). Mp: 173–174 ℃. $^1$H NMR (500 MHz, $CDCl_3$) δ 8.74–8.70 (m, 2H), 8.27–8.26 (m, 2H), 8.17–8.15 (m, 2H), 8.97 (s, 1H), 7.70–6.69 (m, 2H), 7.57 (b, 2H), 7.23–7.20 (m, 2H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 165.1, 164.8 (d, *J* = 248.7 Hz), 163.1, 137.3, 136.3, 134.1 (d, *J* = 2.9 Hz), 132.1, 131.0, 130.6 (d, *J* = 8.7 Hz), 129.0, 128.8, 127.3, 125.5, 115.4 (d, *J* = 21.5 Hz), 109.8. HRMS (APCI) calcd for $C_{22}H_{14}BrClFN_2$ $[M+H]^+$ 439.0013, found 439.0016.




**5-methyl-2,4,6-triphenylpyrimidine (4w)[6]**

The compound **4w** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4w** as a white solid (63 mg, 65% yield). Mp: 162–164 $^o$C (Lit. 172–173 ℃) $^1$H NMR (300 MHz, $CDCl_3$): δ 8.52–8.51 (m, 2H), 7.70 (d, *J* = 7.2 Hz, 4H), 7.48–7.41 (m, 9H); 2.34 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 166.9, 161.5, 139.2, 137.9, 130.2, 129.4, 129.1, 128.3, 128.2, 123.2, 17.7.



**2,4,6-triphenyl-5-propylpyrimidine (4x)**[7]

The compound **4x** was prepared according to general procedure. A purification by flash chromatography in petroleum ether/ethyl acetate = 50/1 gave **4x** as a white solid (57 mg, 54% yield). Mp: 139–141 $^{o}$C; $^{1}$H NMR (300 MHz, $CDCl_3$): δ 8.47–8.46 (m, 2H), 7.60–7.39 (m, 13H), 2.74 (t, *J* = 8.0 Hz, 2H), 1.11(q, *J* = 7.5 Hz, 2H), 0.51 (t, *J* = 7.2 Hz, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$) δ 167.3, 161.2, 139.7, 137.8, 130.2, 128.8, 128.3, 128.3, 30.3, 23.2, 13.9. HRMS (APCI) calcd for $C_{25}H_{23}N_2$ ($[M+H]^+$) 351.1861, found 351.1856.

**References**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[4] Achelle, S.; Guen, F. R. *Tetrahedron Lett*. **2013**, *54*, 4491–4496.

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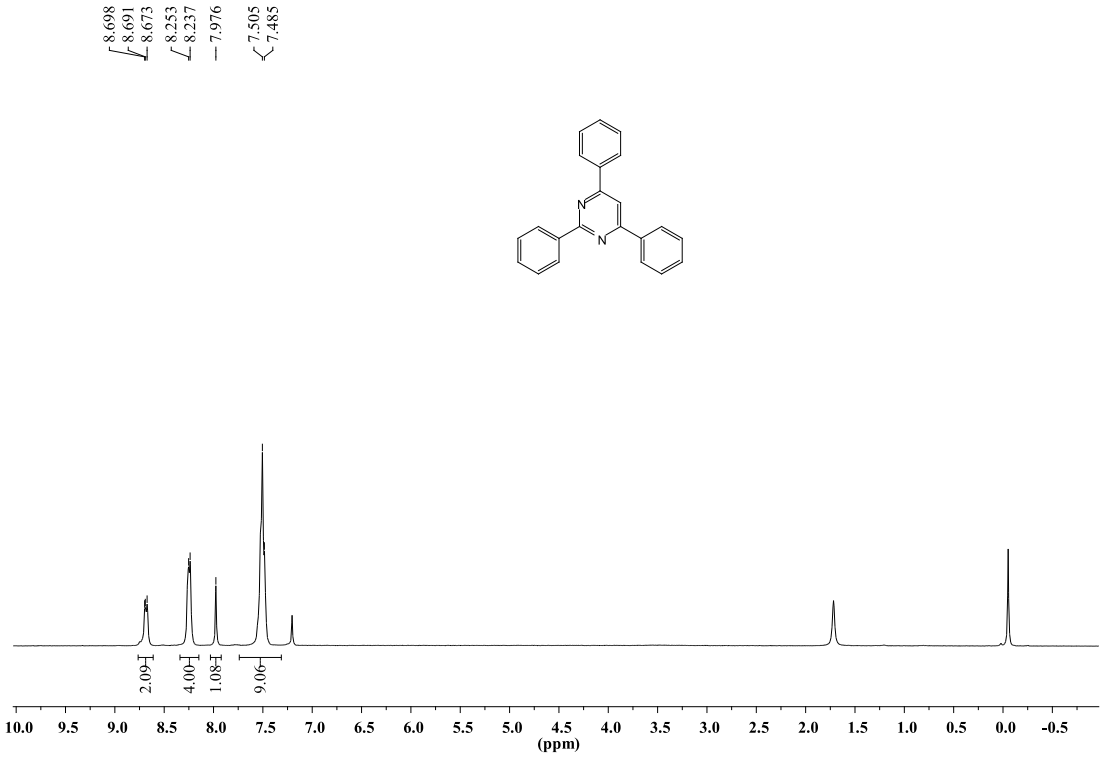
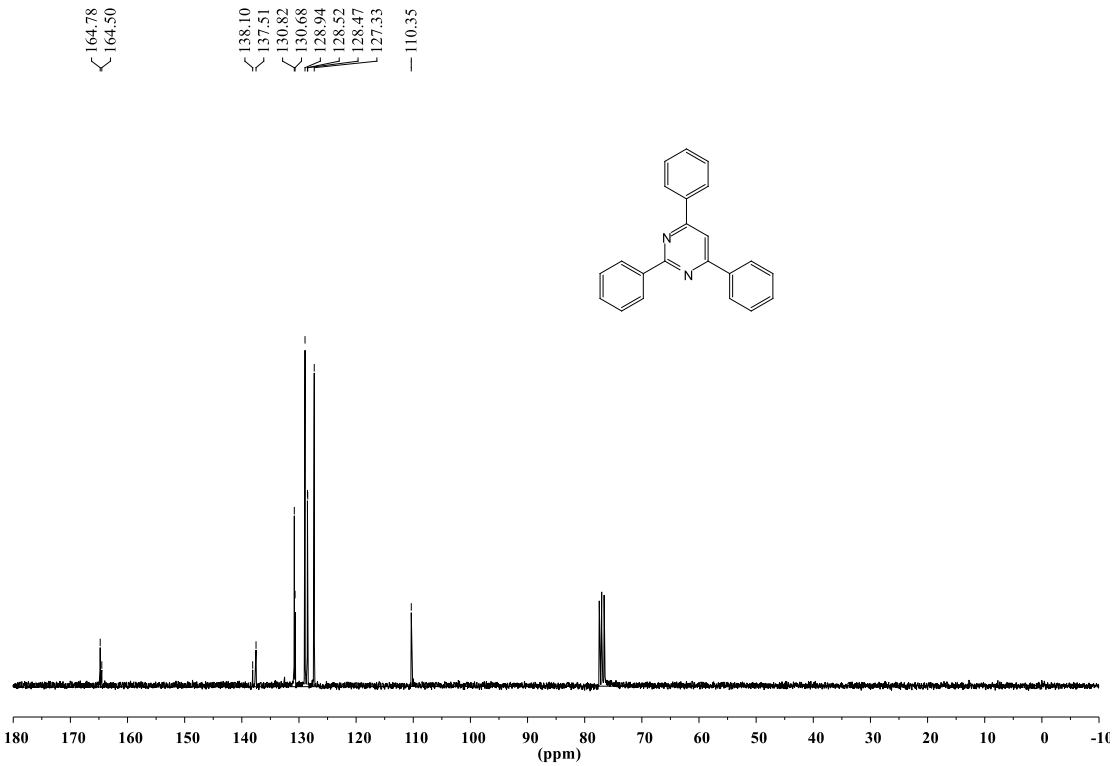
[7] Deibl, N.; Ament, K.; Kempe, R. *J. Am. Chem. Soc*. **2015**, *137*, 12804−12807.

# Copies of $^{1}H$ and $^{13}C$ NMR Spectra

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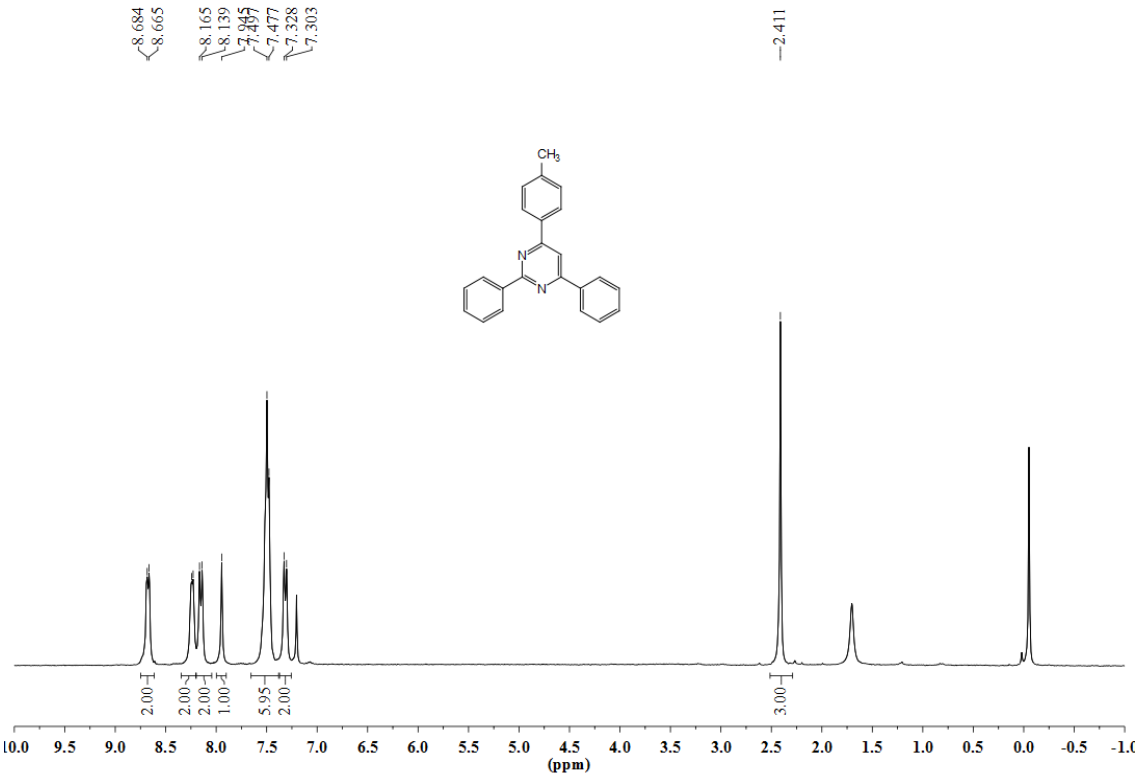
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8.165
8.139
7.497
7.477
7.328
7.303
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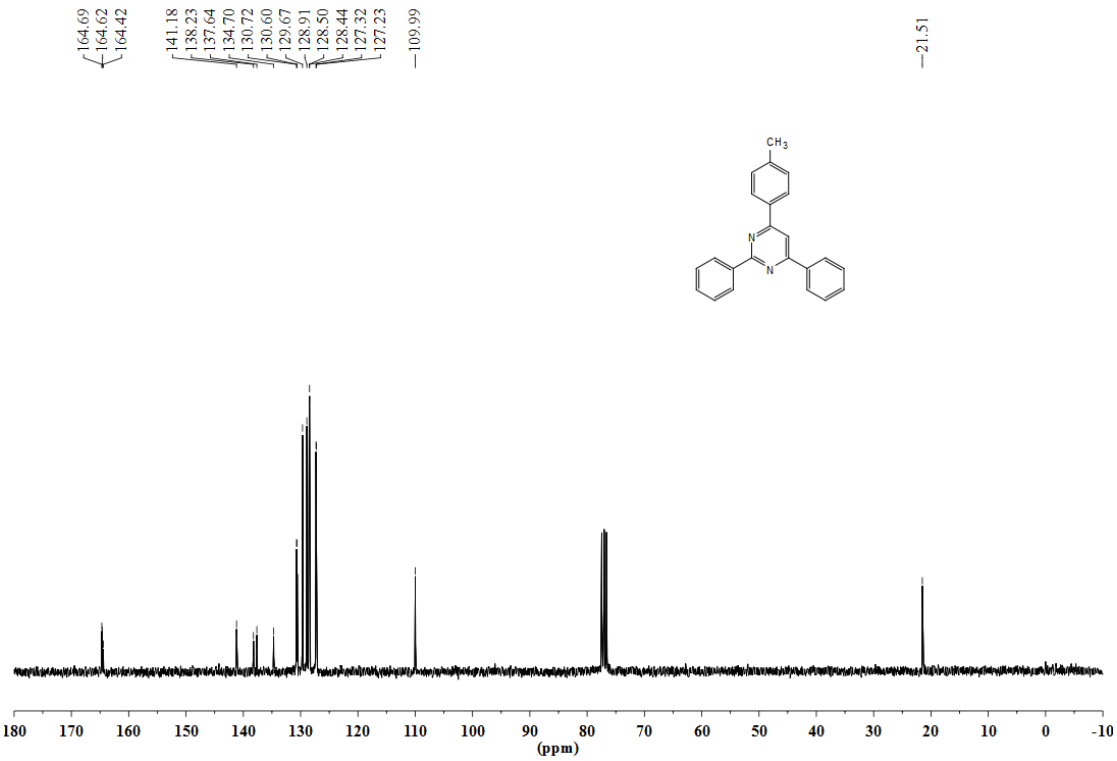
2.00
2.00
1.00
5.95
2.00
3.00
(ppm)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164.62
164.42
141.18
138.23
137.64
134.70
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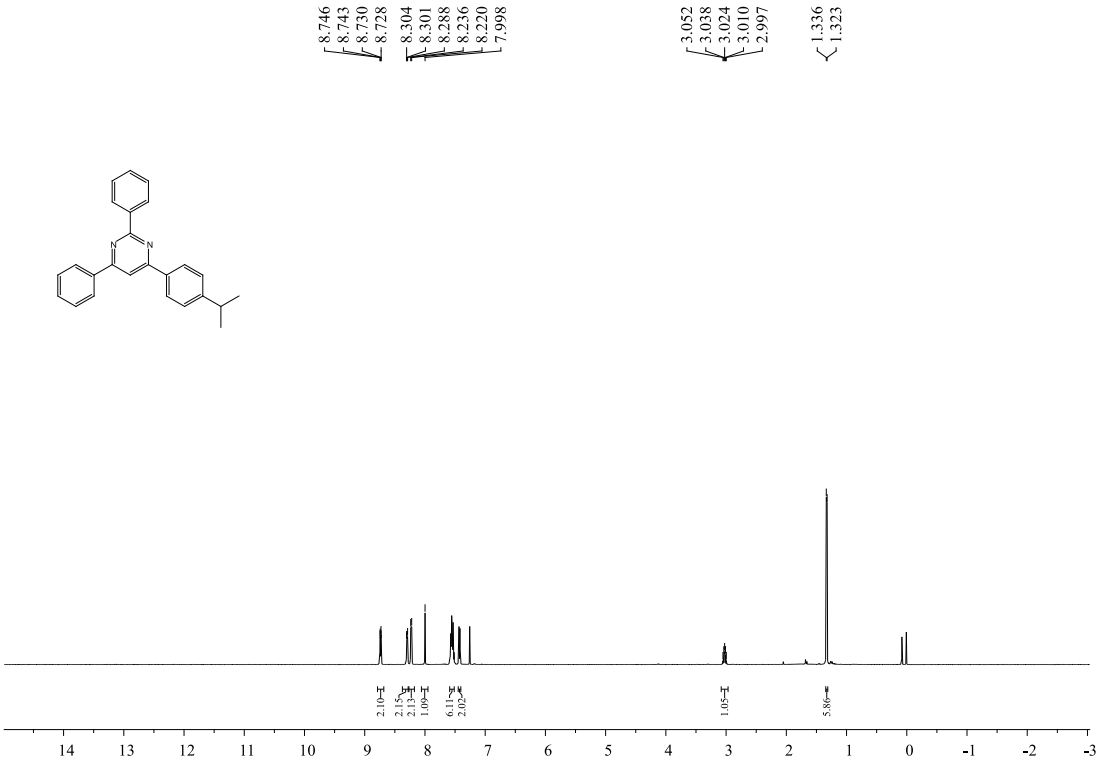
128.44
127.32
127.23
109.99
21.51
(ppm)

**4b**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3.038
3.024
3.010
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1.336
1.323
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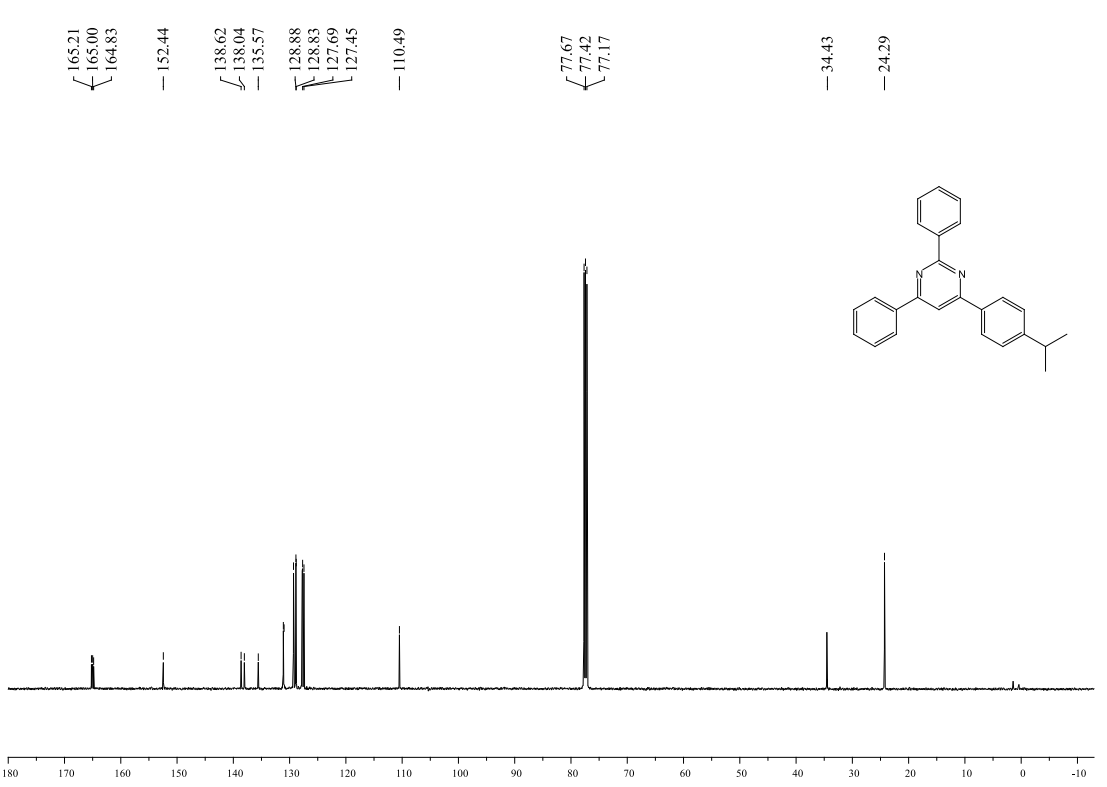
2.15
2.13
1.09
6.11
2.02
1.05
5.86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165.00
164.83
152.44
138.62
138.04
135.57
128.88
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127.69
127.45
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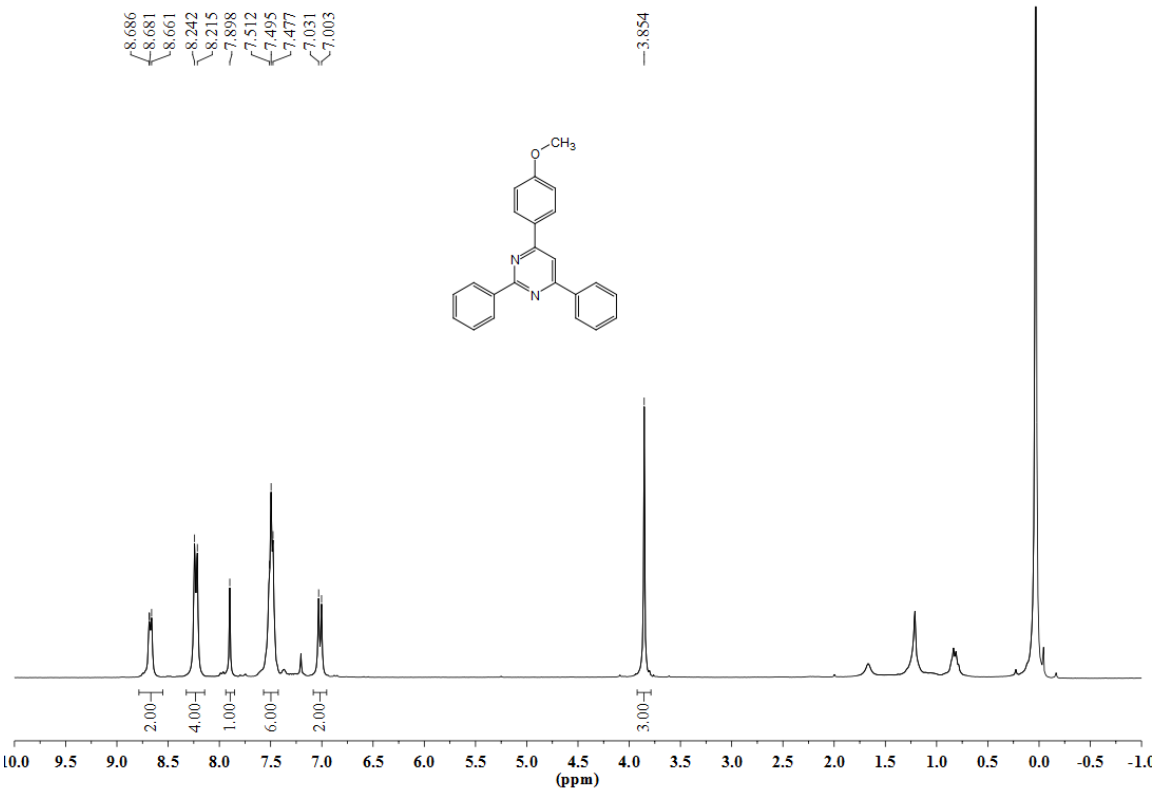
77.67
77.42
77.17
34.43
24.29

**4c**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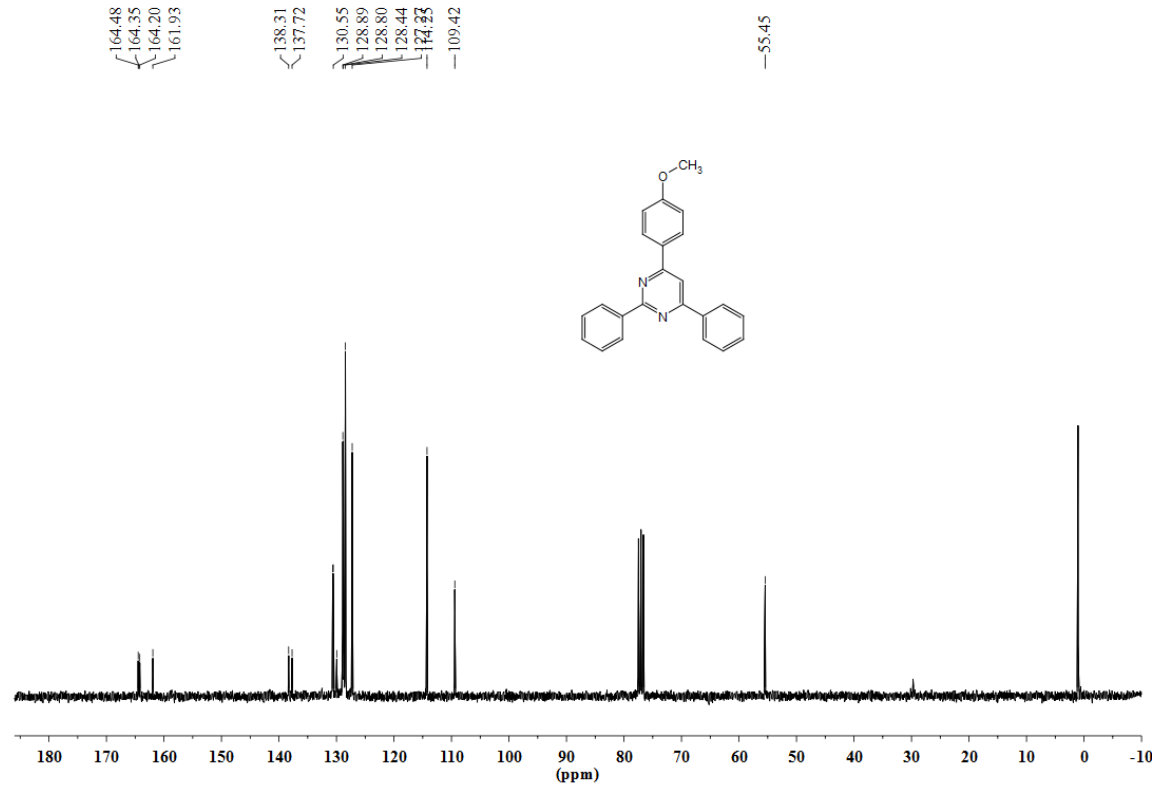
1.00
6.00
2.00
3.00
(ppm)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164.35
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128.44
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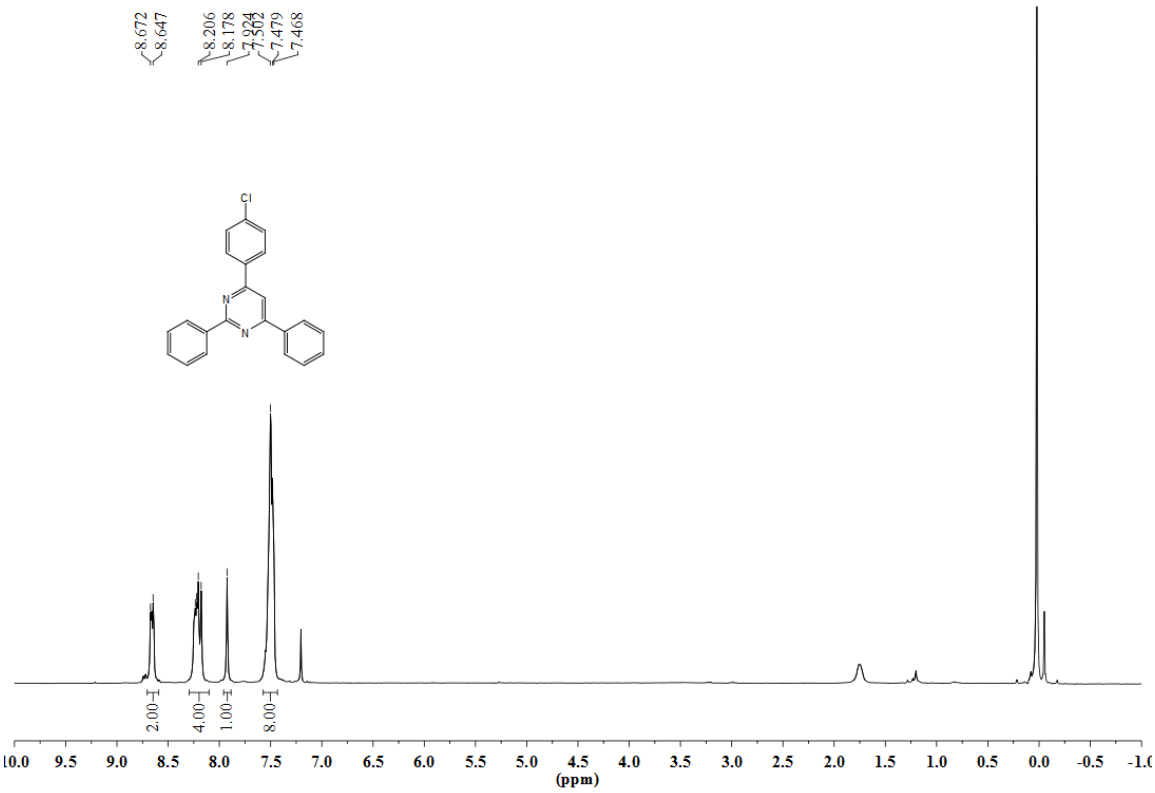
55.45
(ppm)

**4d**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N
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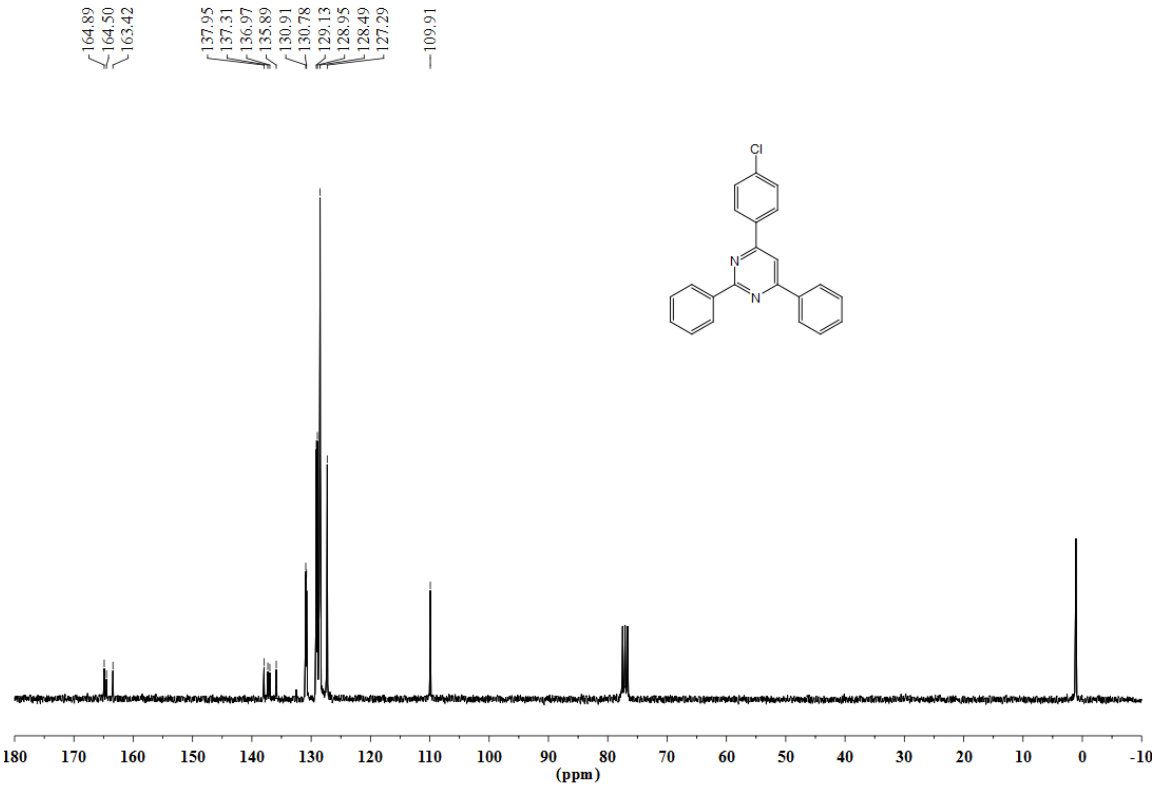
8.00
(ppm)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109.91
Cl
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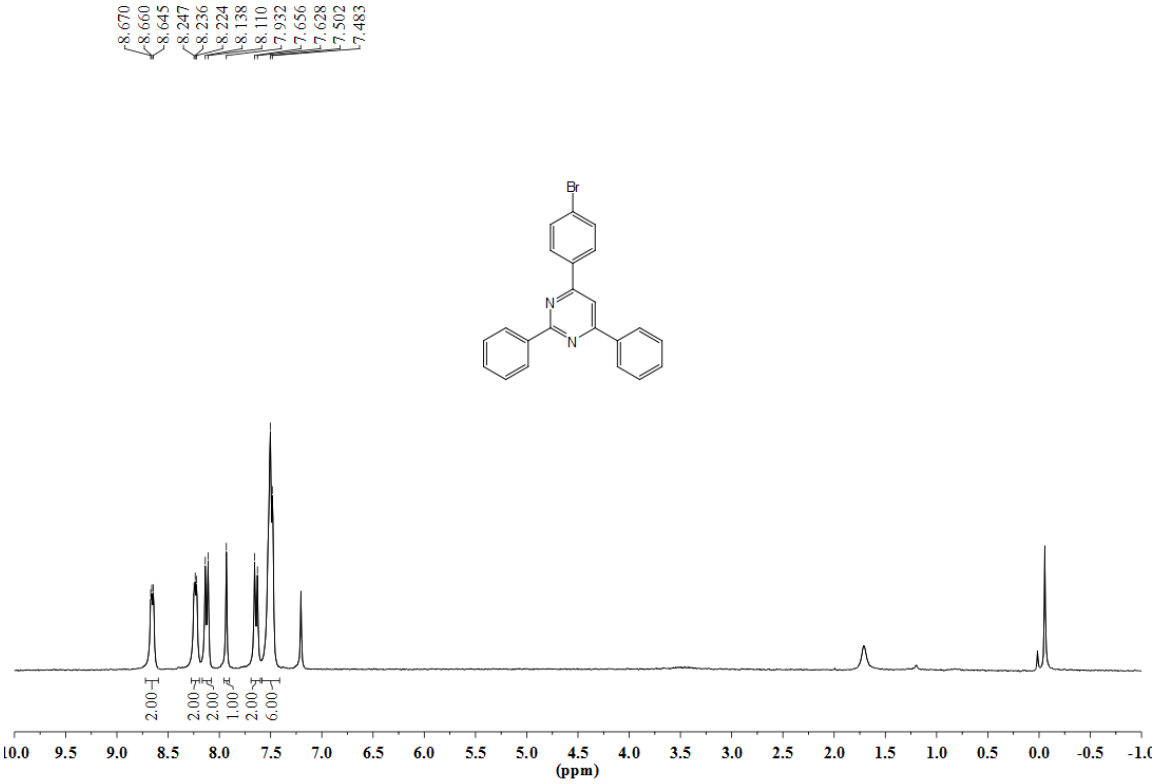
N
(ppm)

**4e**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2.00
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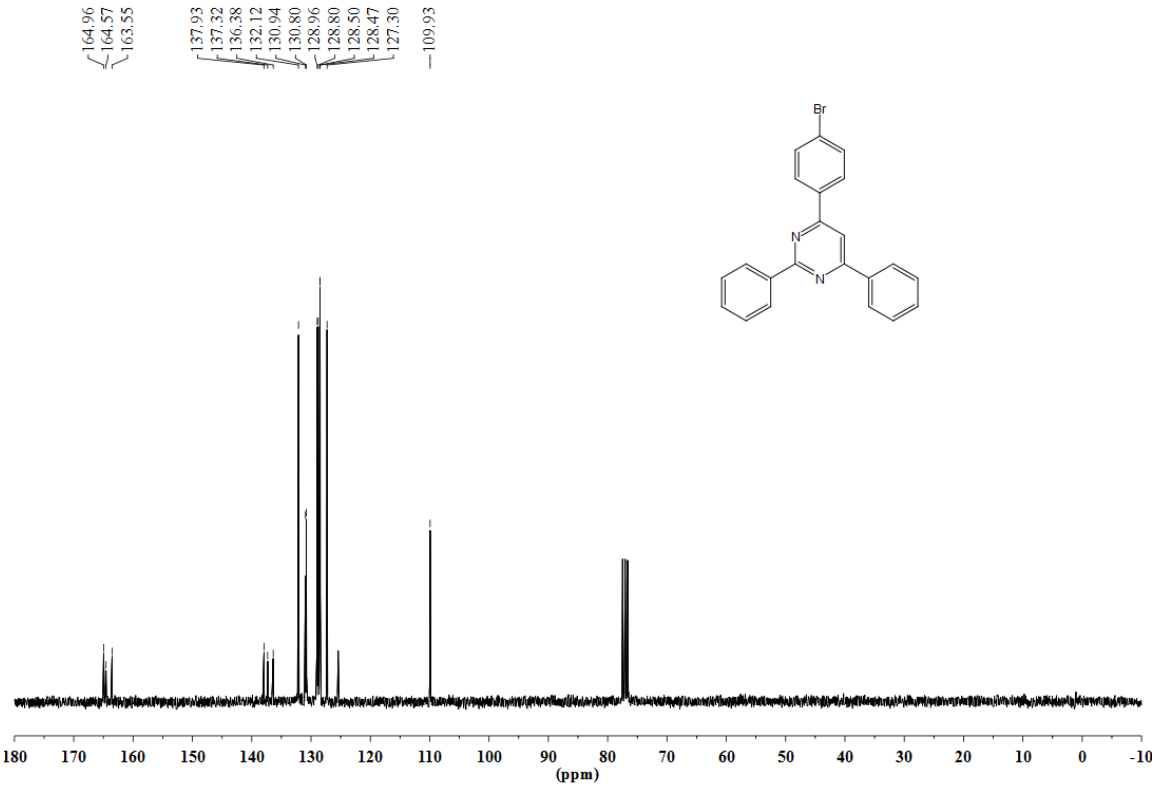
(ppm)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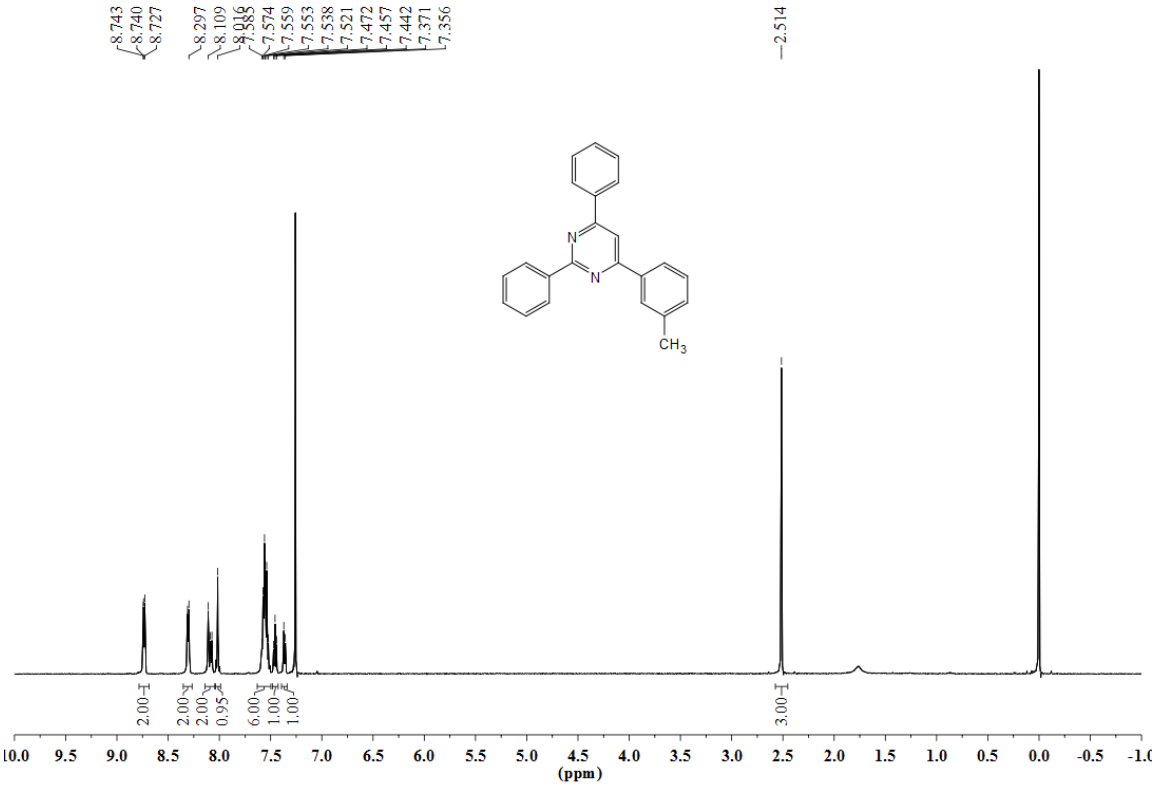
Br
N
N
(ppm)

**4f**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7.442
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7.356
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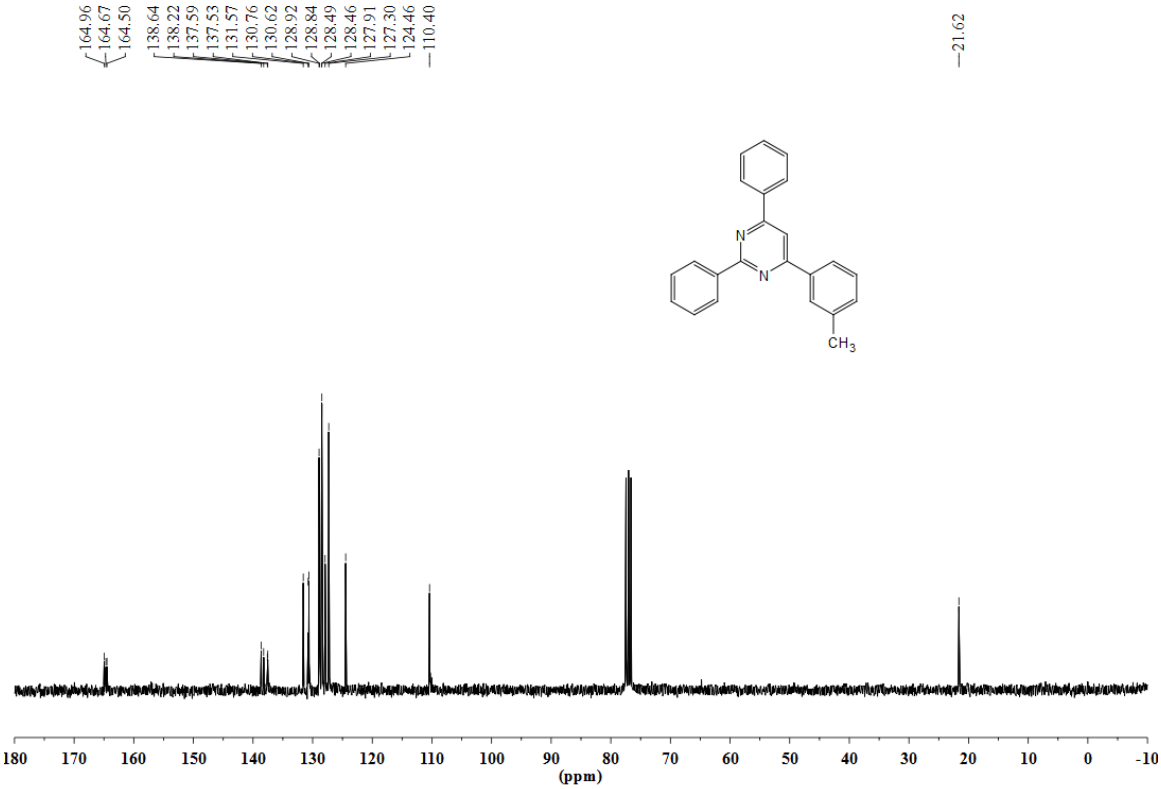
(ppm)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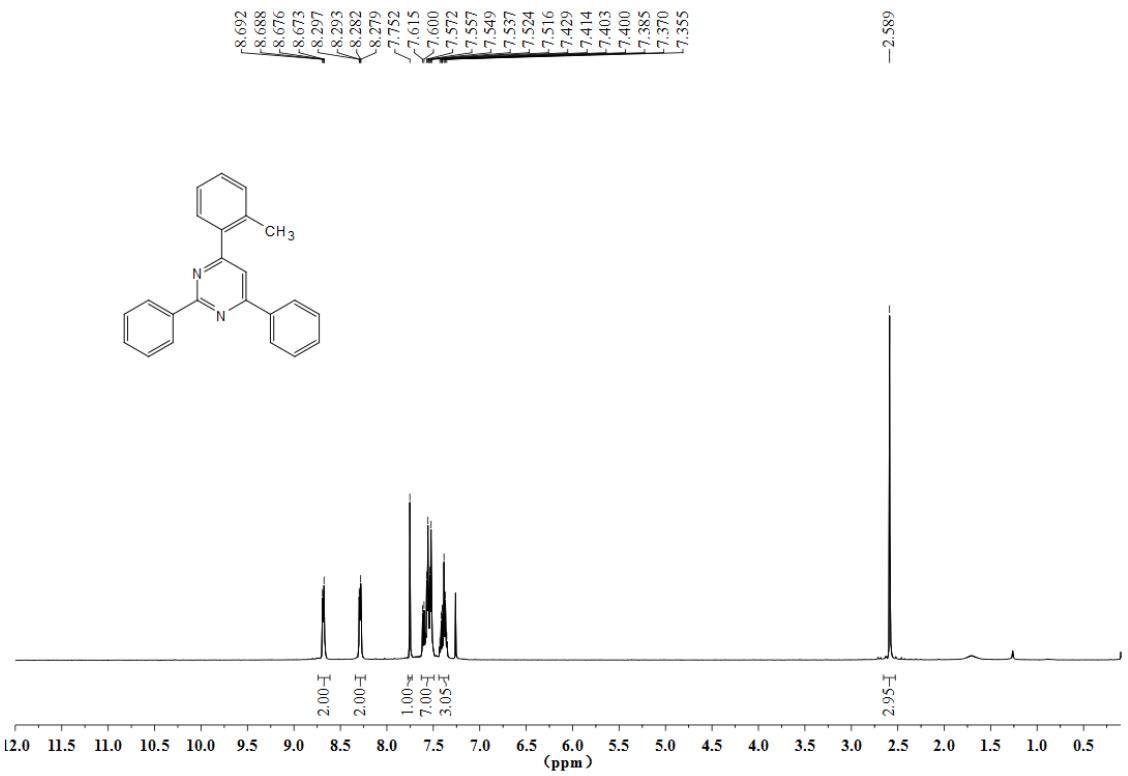
**4g**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7.537
7.524
7.516
7.429
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7.403
7.400
7.385
7.370
7.355
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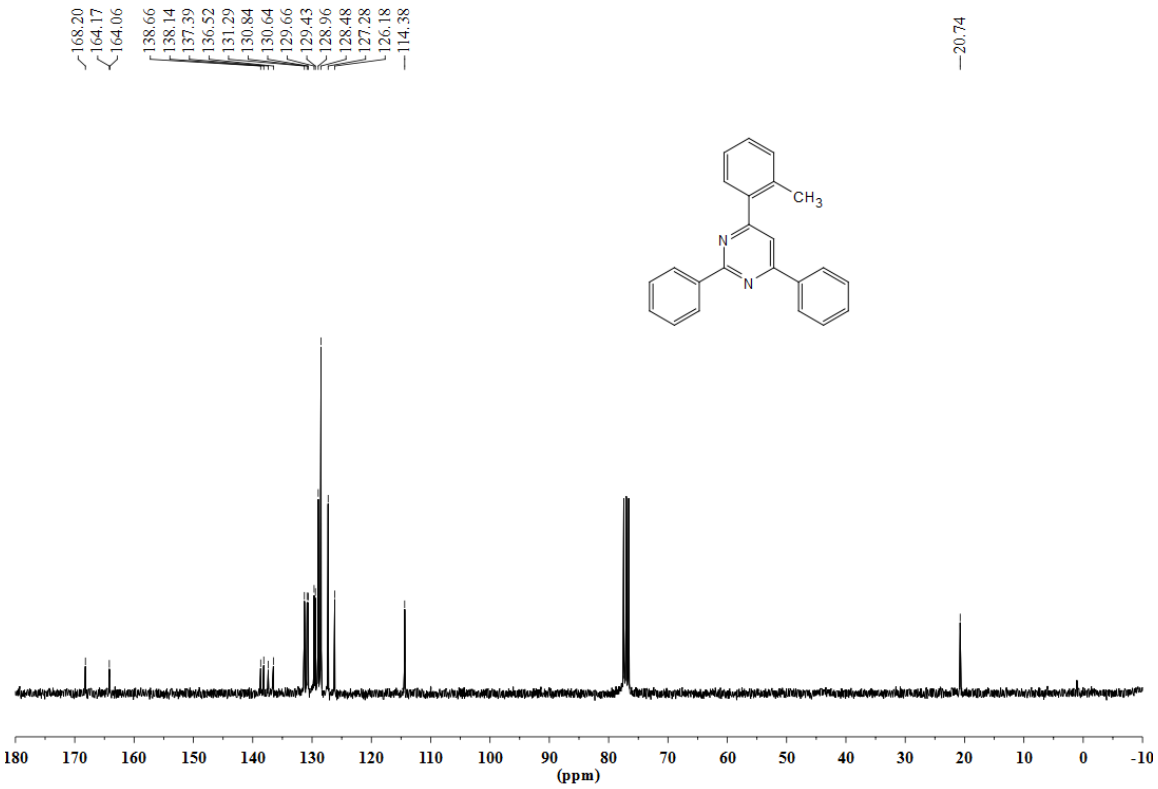
(ppm)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128.48
127.28
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20.74
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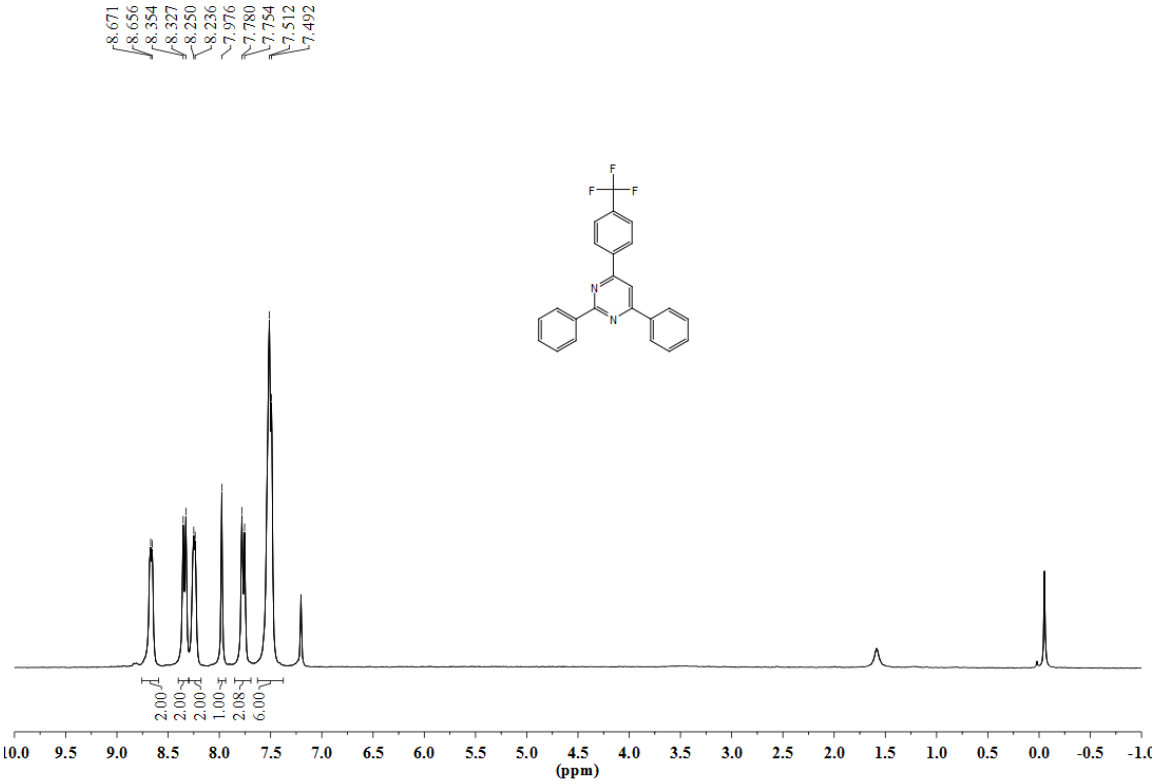
(ppm)

**4h**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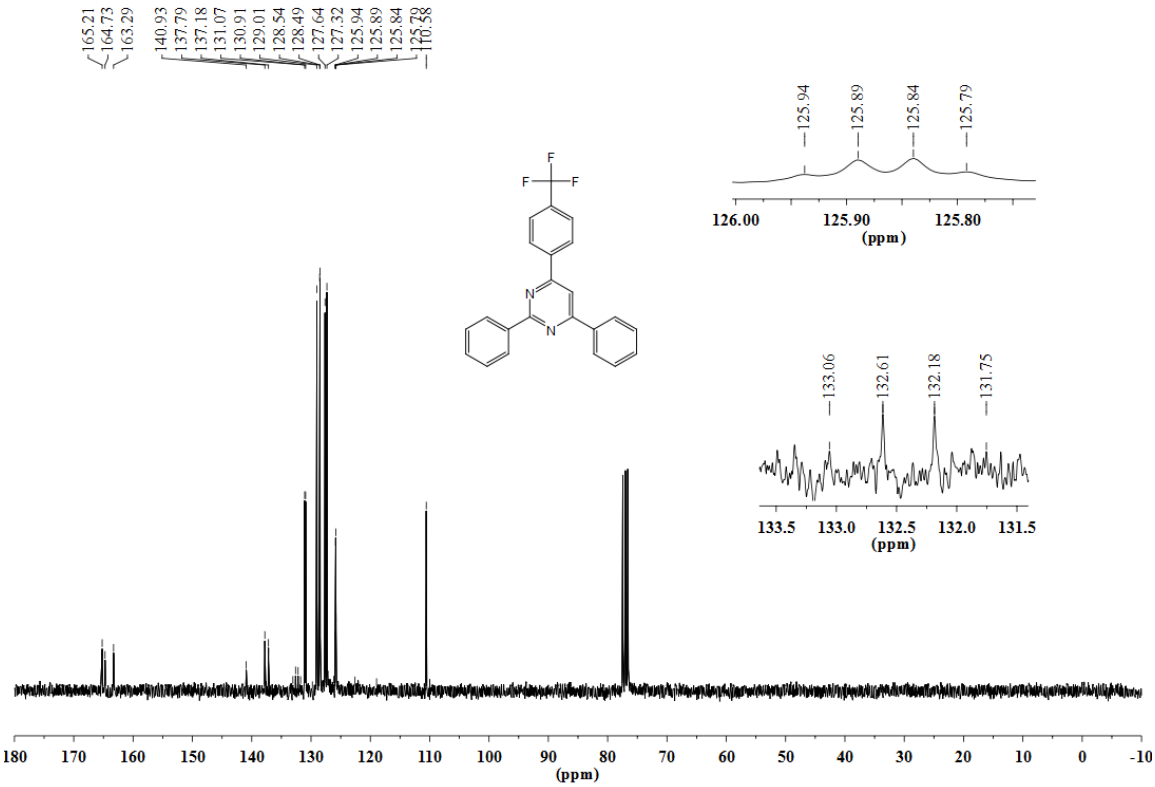
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125.84
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131.5
(ppm)
180
170
160
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30
20
10
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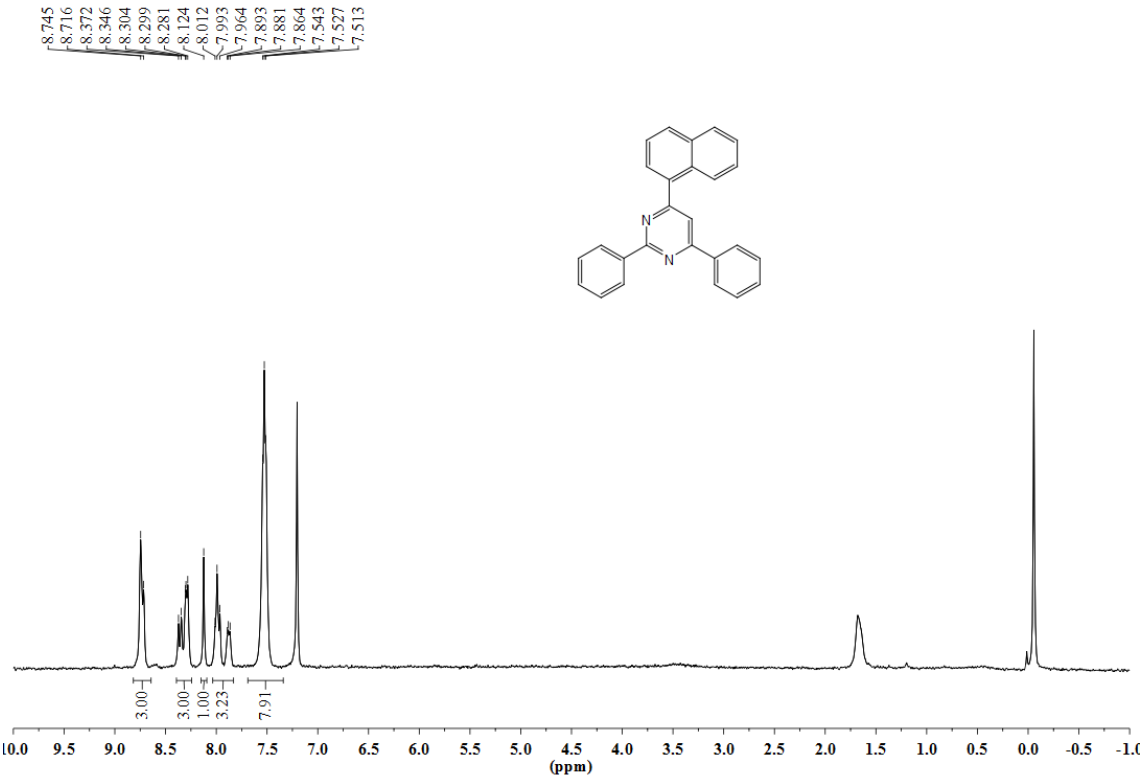
-10
(ppm)

**4i**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7.881
7.864
7.543
7.527
7.513
N
N
3.00
3.00
1.00
3.23
7.91
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
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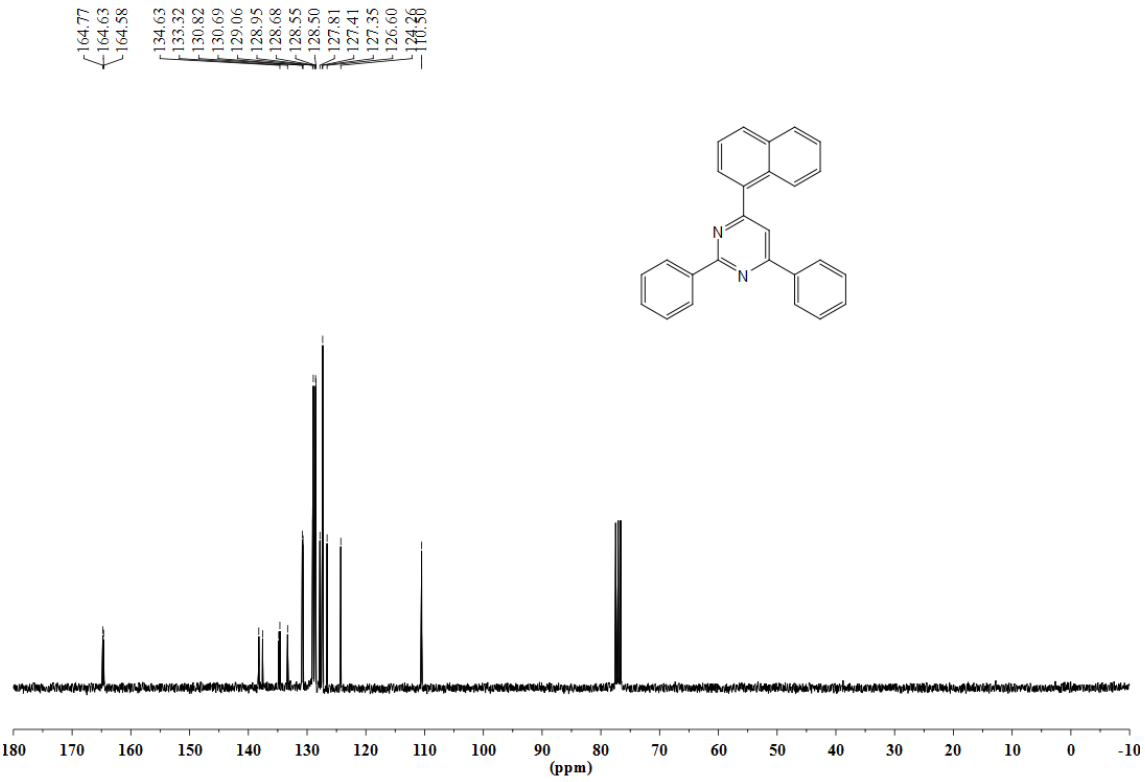
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128.50
127.81
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110.50
N
N
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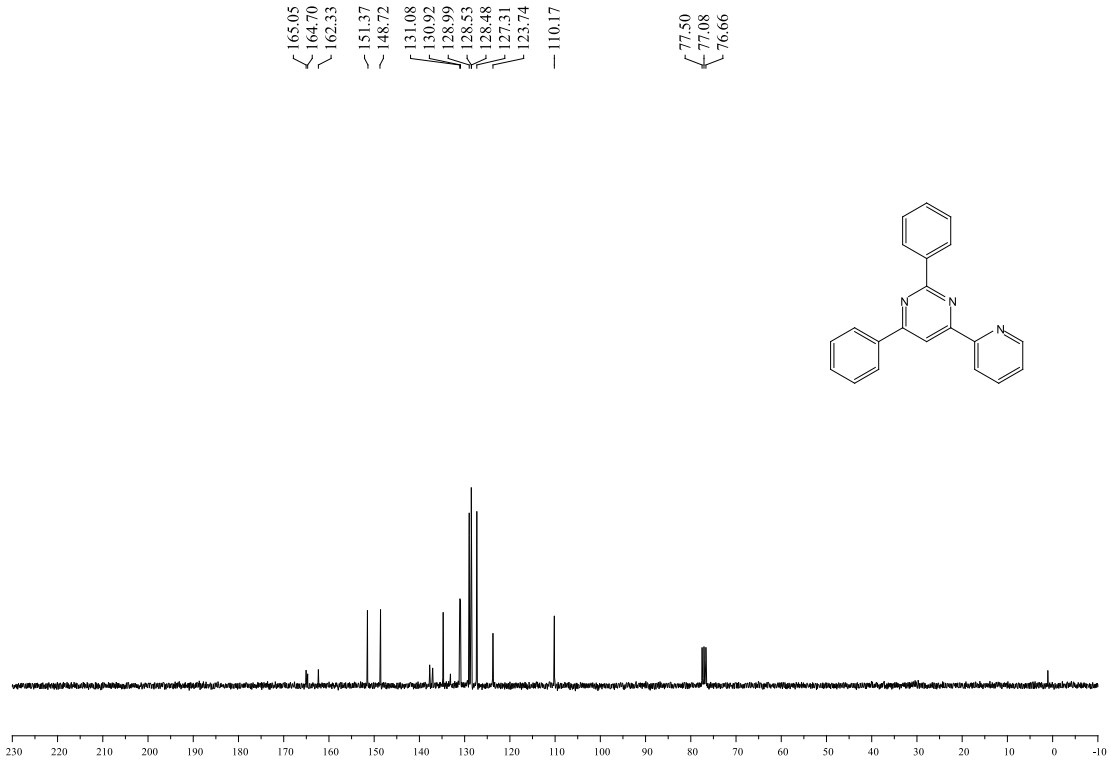
(ppm)

**4j**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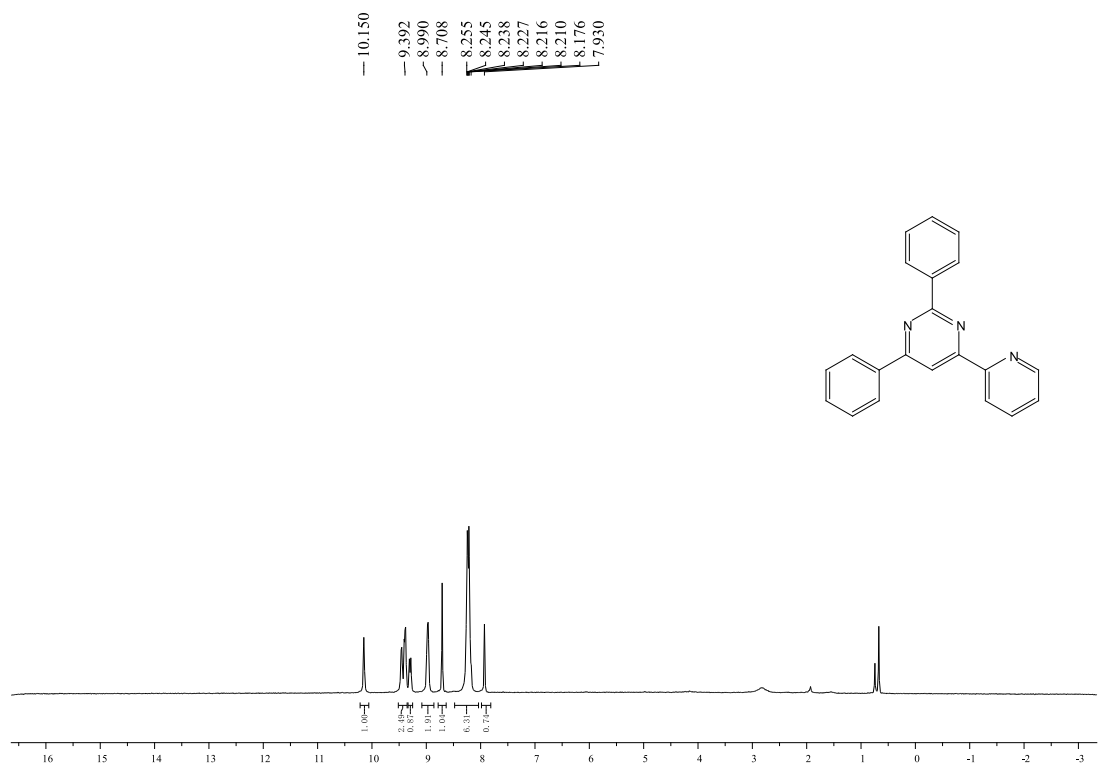
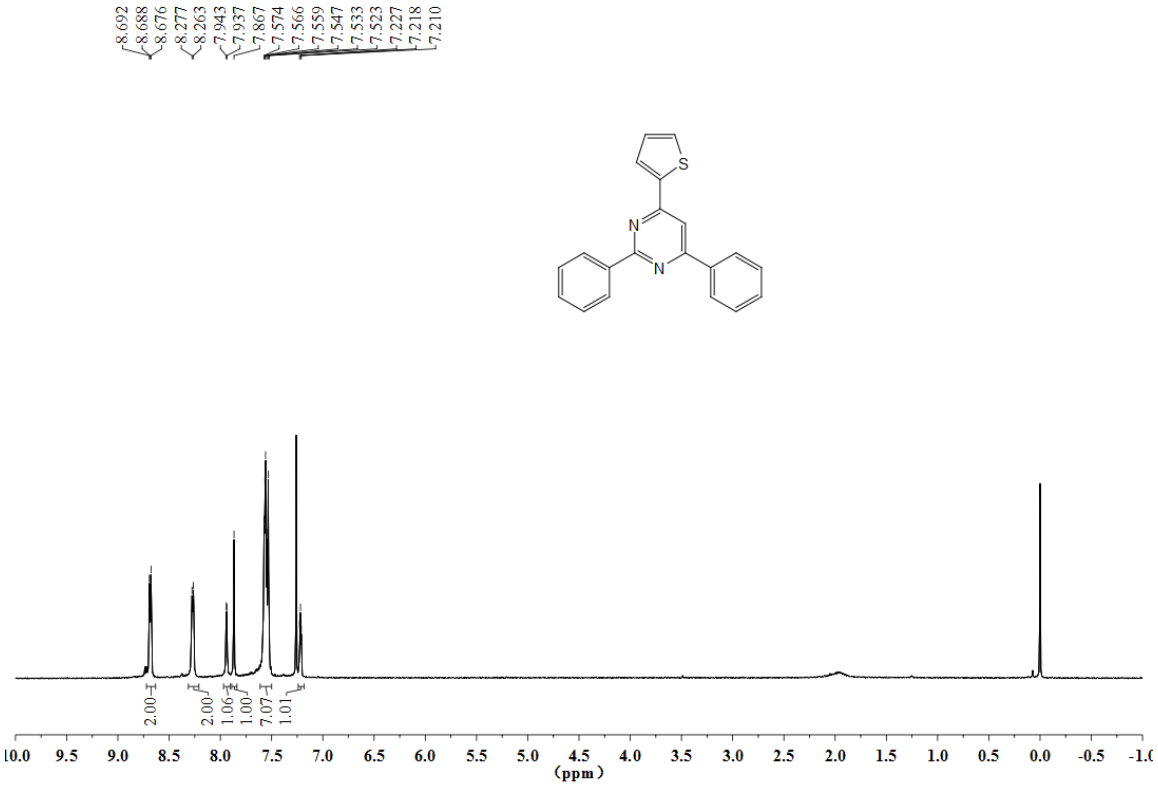
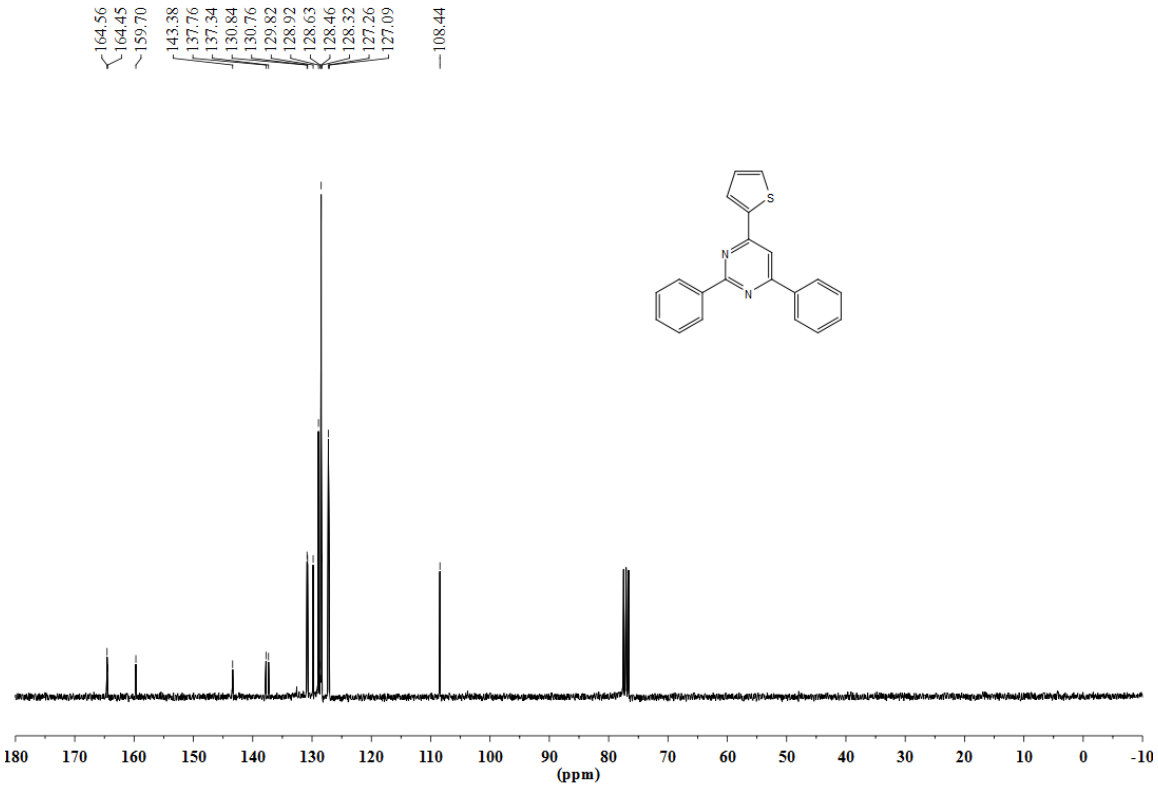
77.50
77.08
76.66
N
N
N

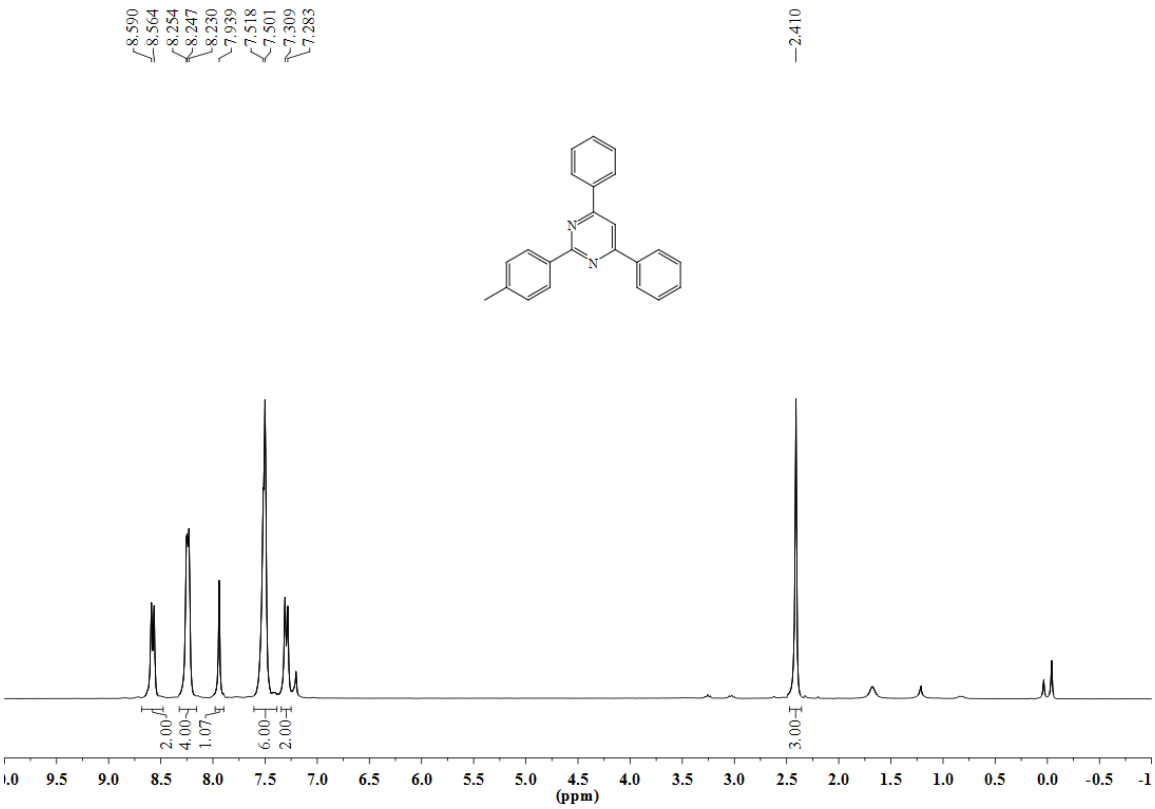

10.150
9.392
8.990
8.708
8.255
8.245
8.238
8.227
8.216
8.210
8.176
7.930
N
N
N
1.00
2.48
0.81
1.91
1.04
6.31
0.74

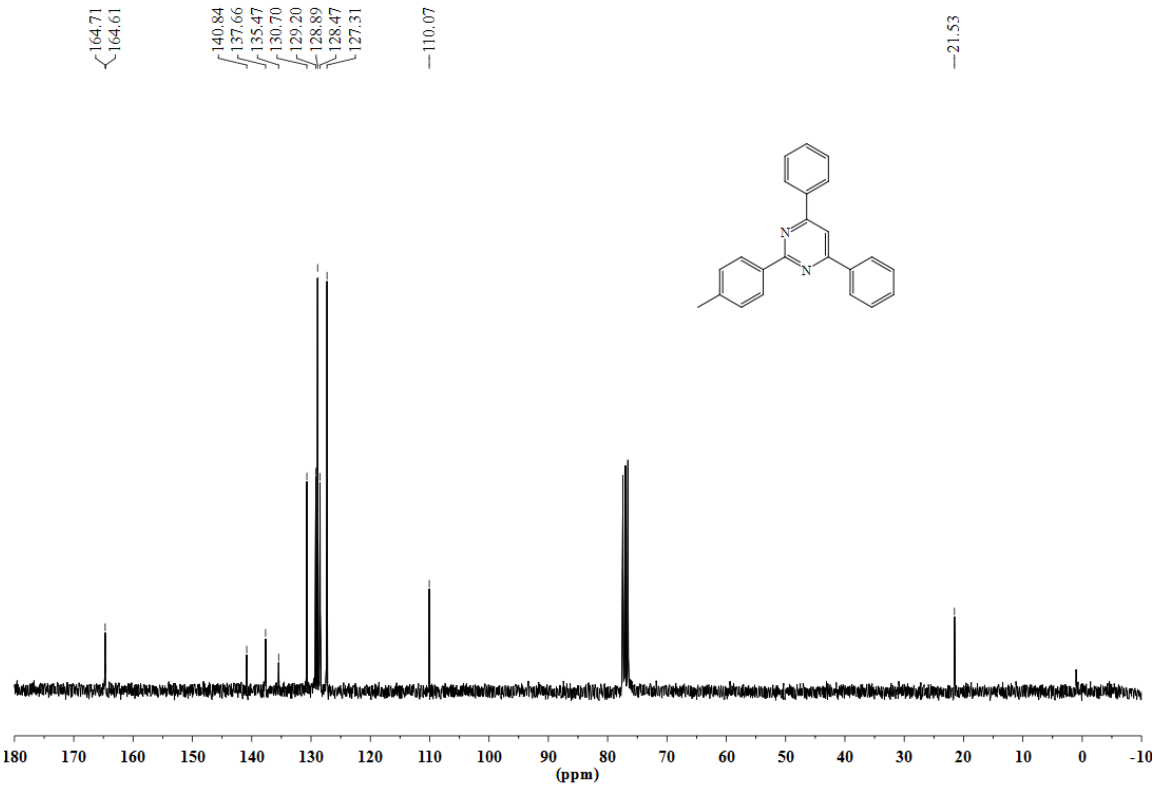
**4k**


8.692
8.688
8.676
8.277
8.263
7.943
7.937
7.867
7.574
7.566
7.559
7.547
7.533
7.523
7.227
7.218
7.210
S
N
N
2.00
2.00
1.06
1.00
7.07
1.01
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
(ppm)

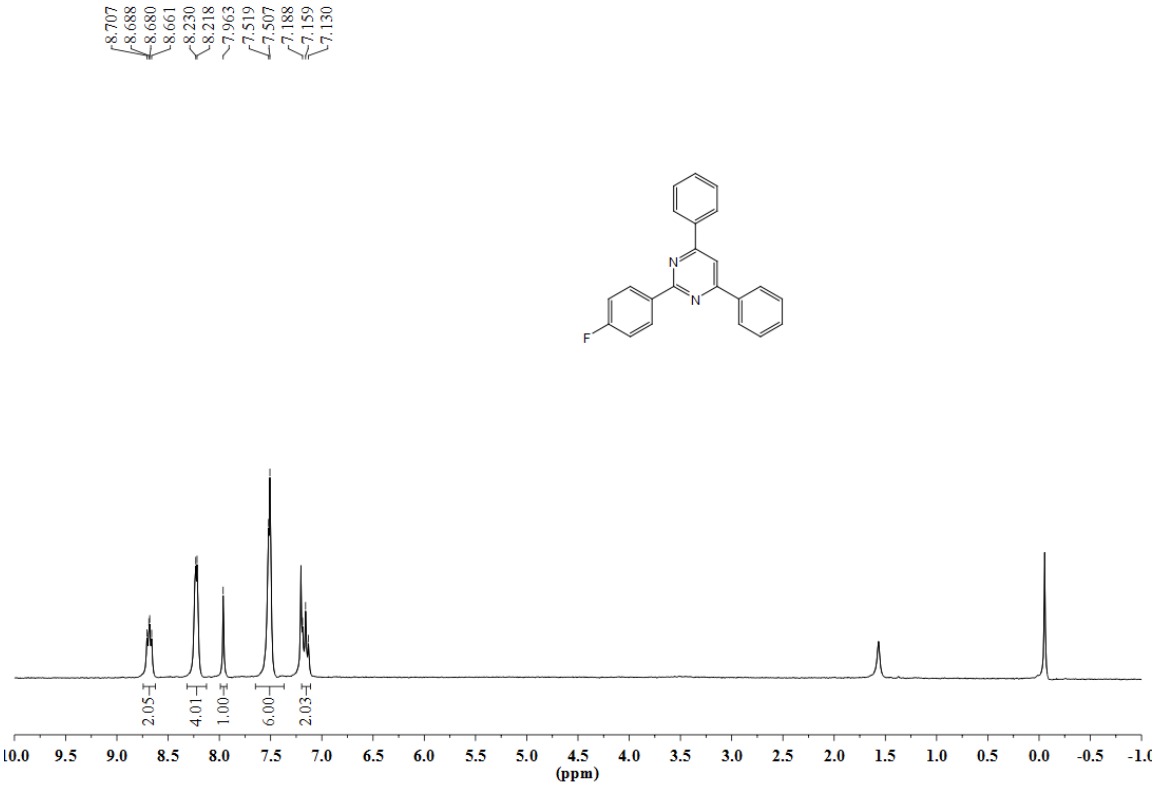

164.56
164.45
159.70
143.38
137.76
137.34
130.84
130.76
129.82
128.92
128.63
128.46
128.32
127.26
127.09
108.44
S
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
(ppm)

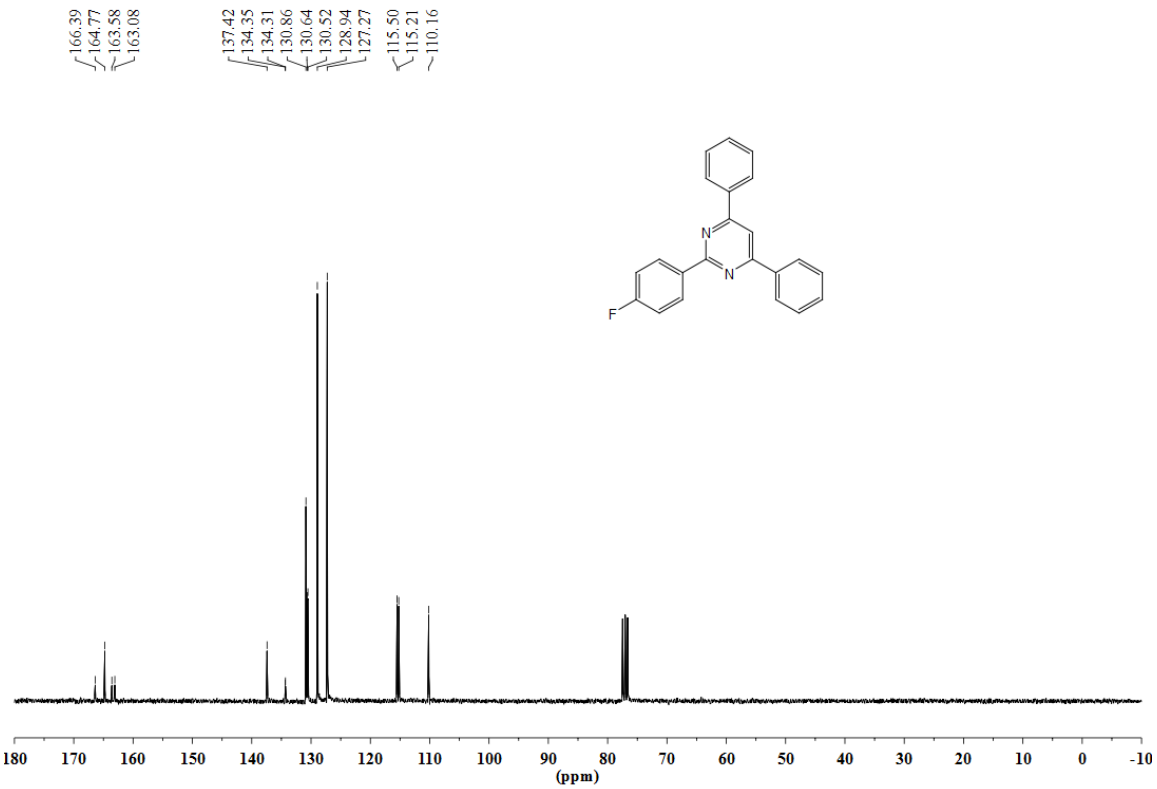
**4l**


8.590
8.564
8.254
8.247
8.230
7.939
7.518
7.501
7.309
7.283
2.410
2.00
4.00
1.07
6.00
2.00
3.00
(ppm)

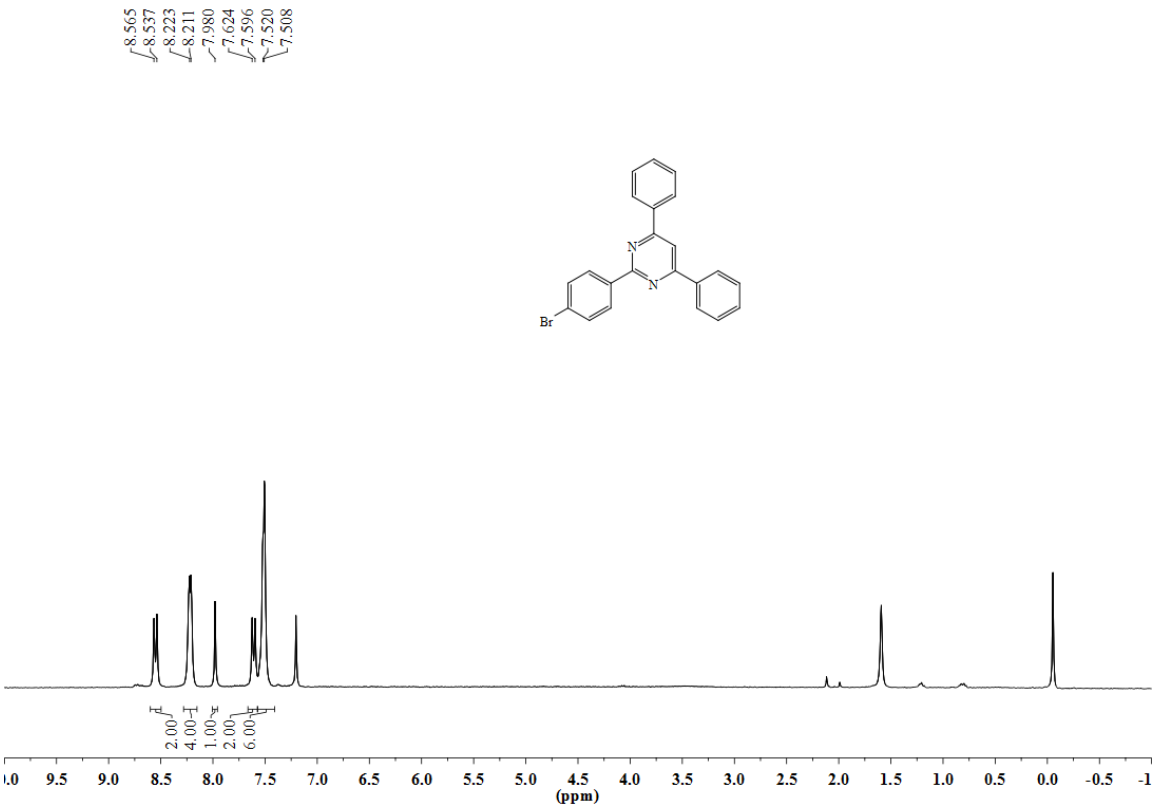

164.71
164.61
140.84
137.66
135.47
130.70
129.20
128.89
128.47
127.31
110.07
21.53
(ppm)

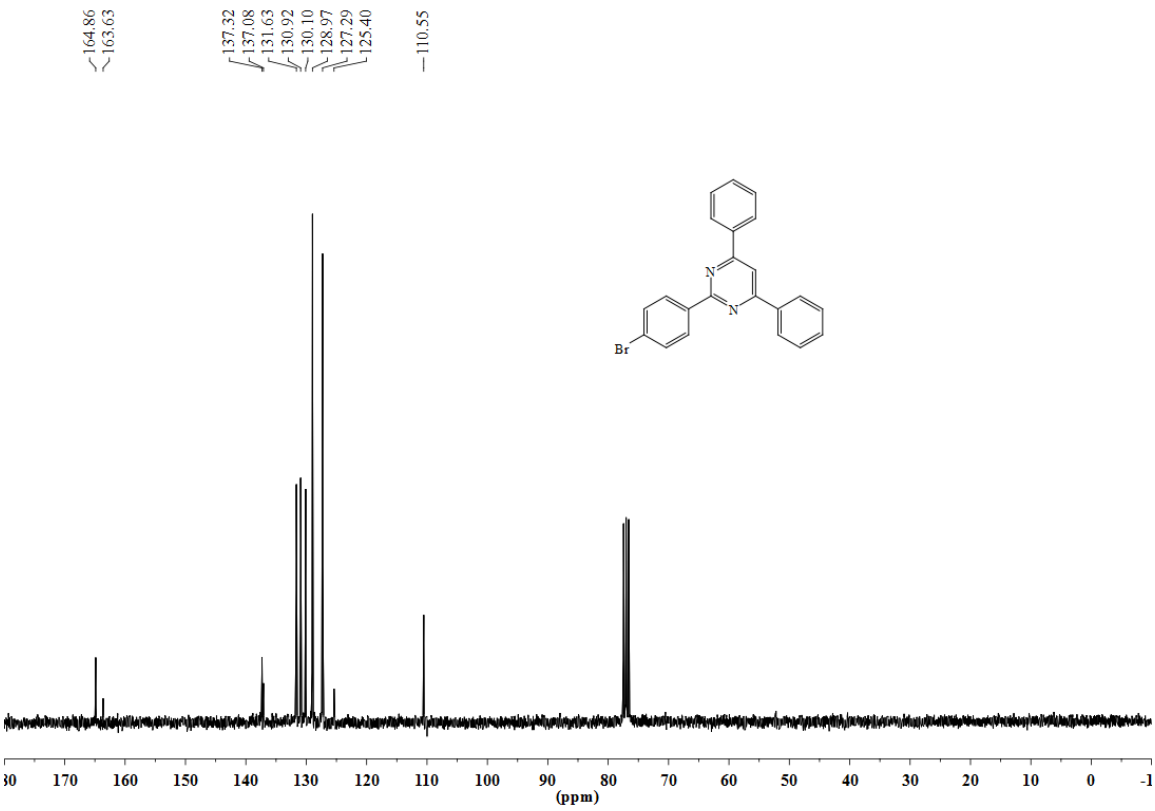
**4m**


8.707
8.688
8.680
8.661
8.230
8.218
7.963
7.519
7.507
7.188
7.159
7.130
F
N
N
2.05
4.01
1.00
6.00
2.03
(ppm)

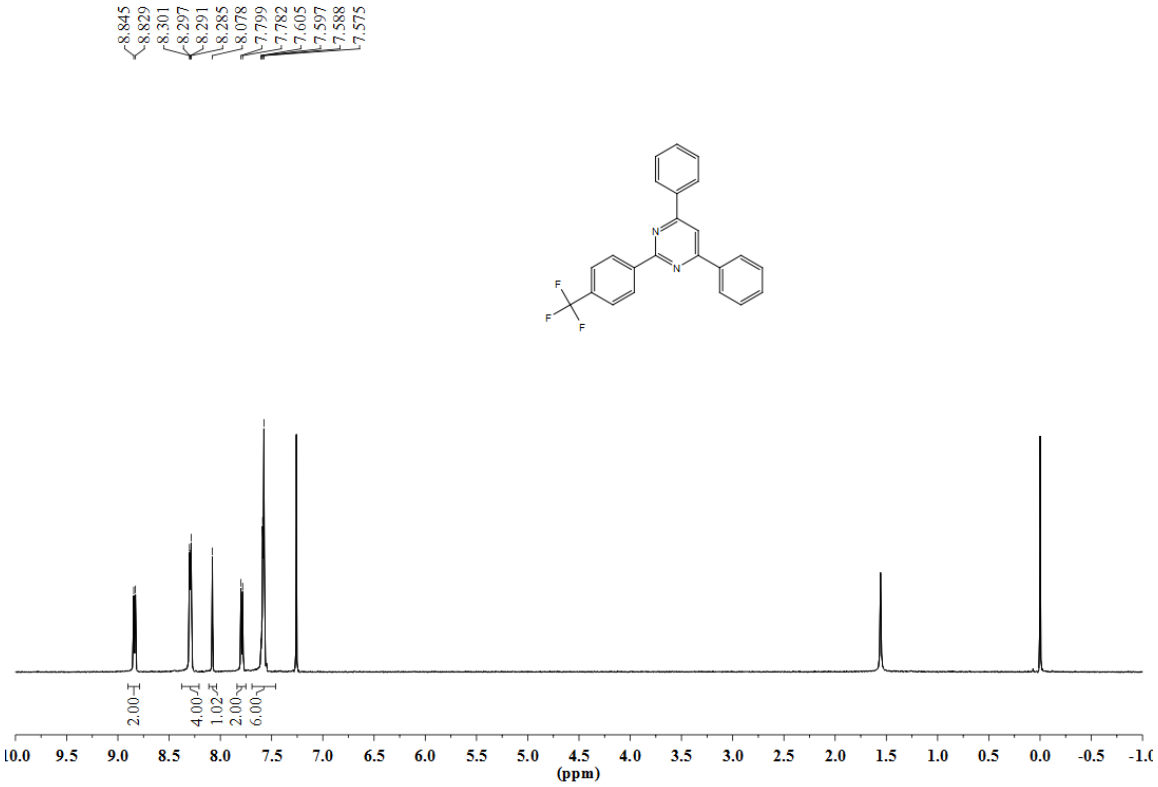

166.39
164.77
163.58
163.08
137.42
134.35
134.31
130.86
130.64
130.52
128.94
127.27
115.50
115.21
110.16
F
N
N
(ppm)

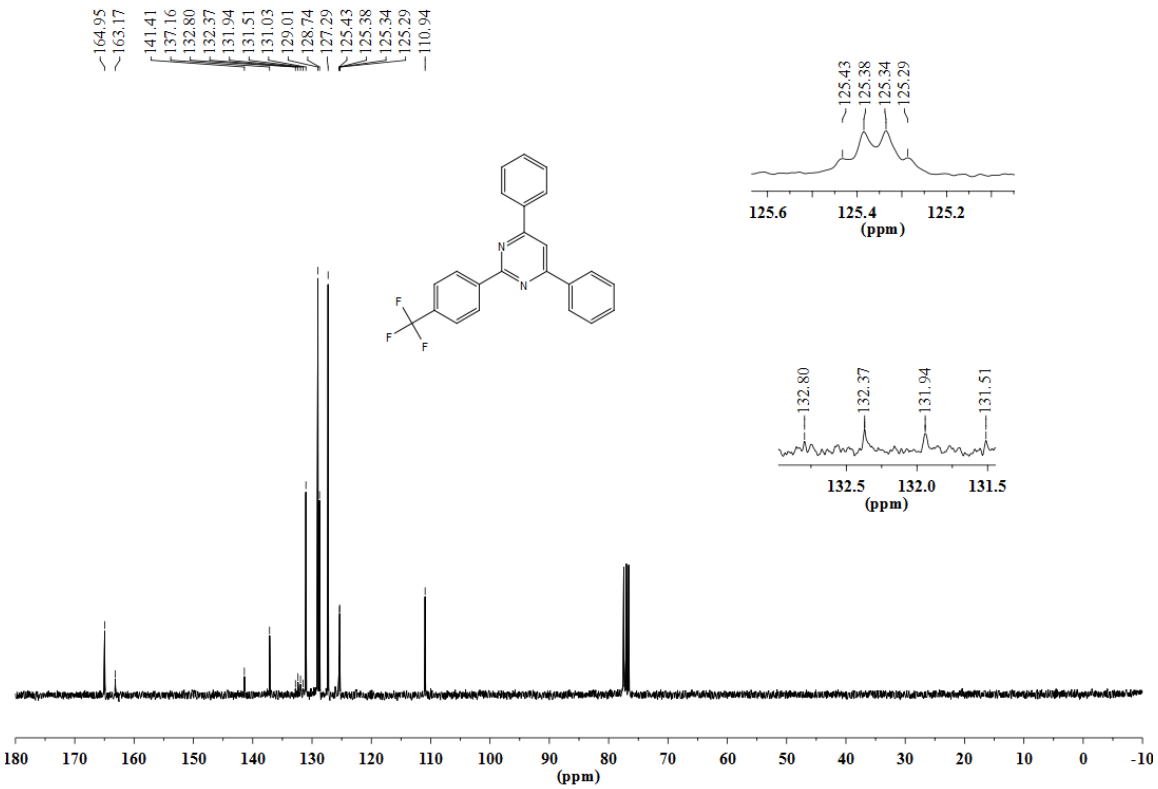
**4n**


8.565
8.537
8.223
8.211
7.980
7.624
7.596
7.520
7.508
Br
2.00
4.00
1.00
2.00
6.00
(ppm)

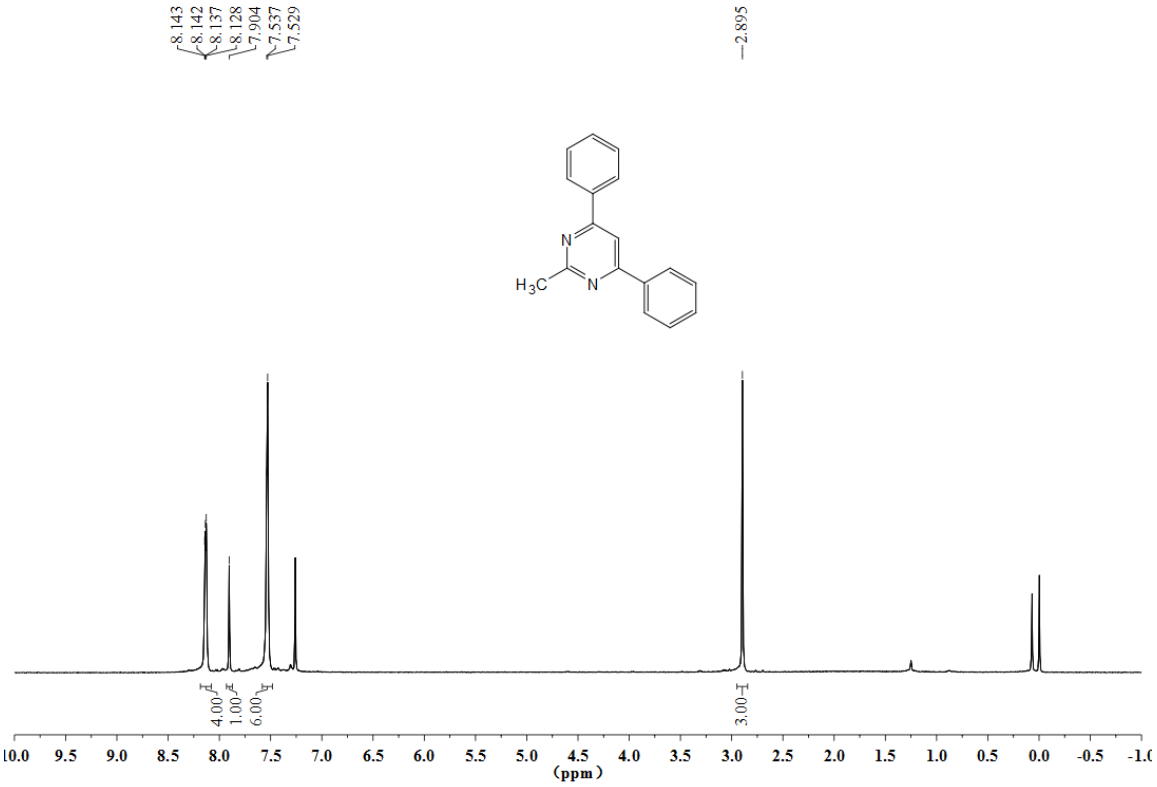

164.86
163.63
137.32
137.08
131.63
130.92
130.10
128.97
127.29
125.40
110.55
Br
(ppm)

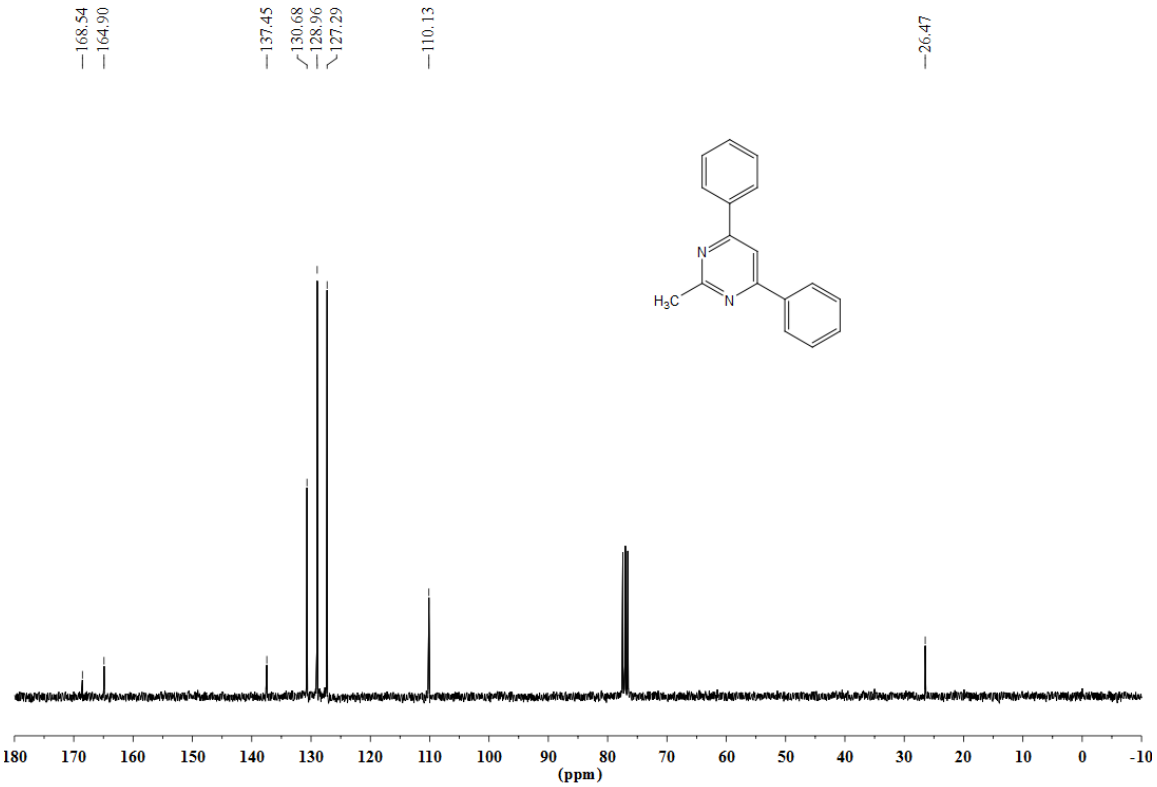
**4o**


8.845
8.829
8.301
8.297
8.291
8.285
8.078
7.799
7.782
7.605
7.597
7.588
7.575
2.00
4.00
1.02
2.00
6.00
(ppm)

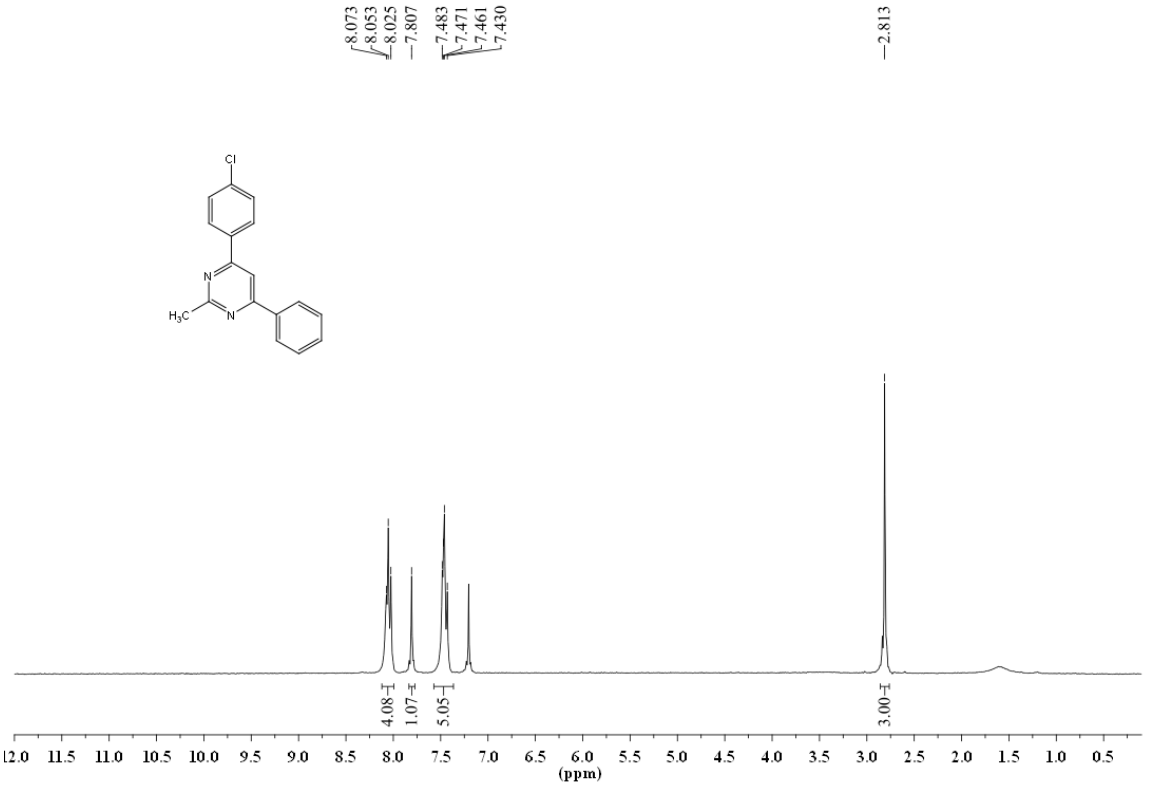

164.95
163.17
141.41
137.16
132.80
132.37
131.94
131.51
131.03
129.01
128.74
127.29
125.43
125.38
125.34
125.29
110.94
125.43
125.38
125.34
125.29
125.6
125.4
125.2
(ppm)
132.80
132.37
131.94
131.51
132.5
132.0
131.5
(ppm)
(ppm)

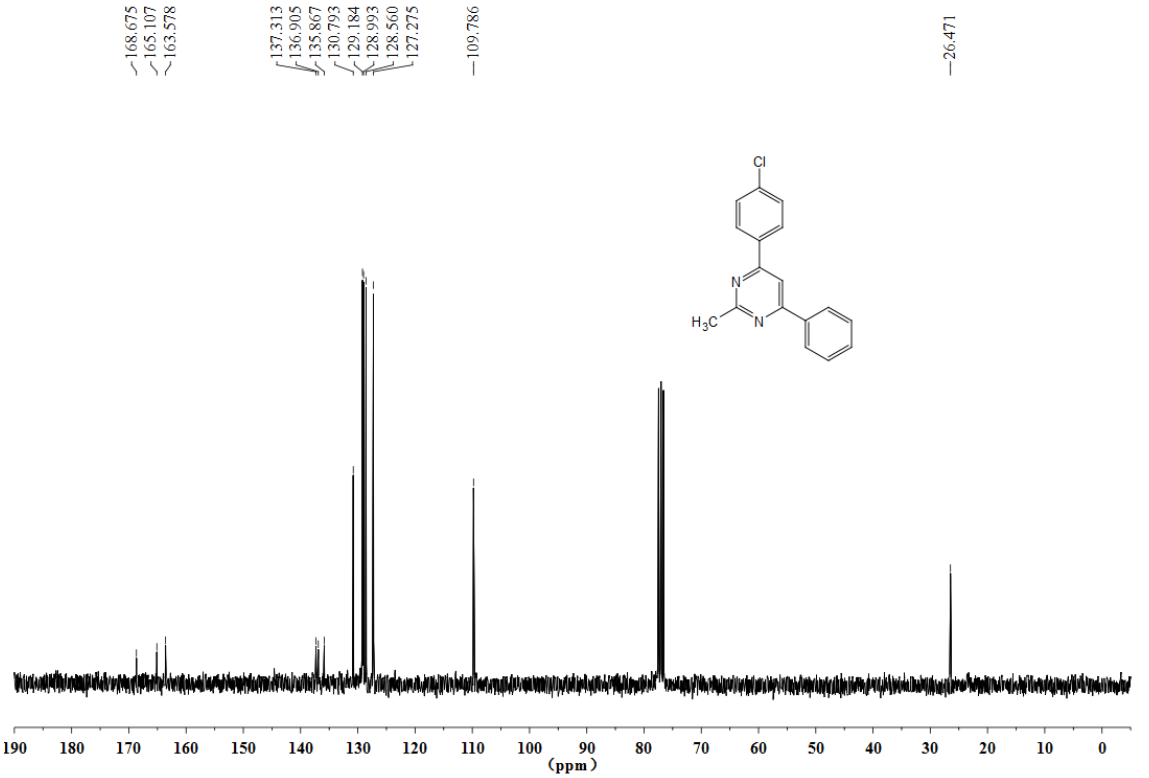
**4p**


8.143
8.142
8.137
8.128
7.904
7.537
7.529
2.895
N
H3C
N
4.00
1.00
6.00
3.00
(ppm)

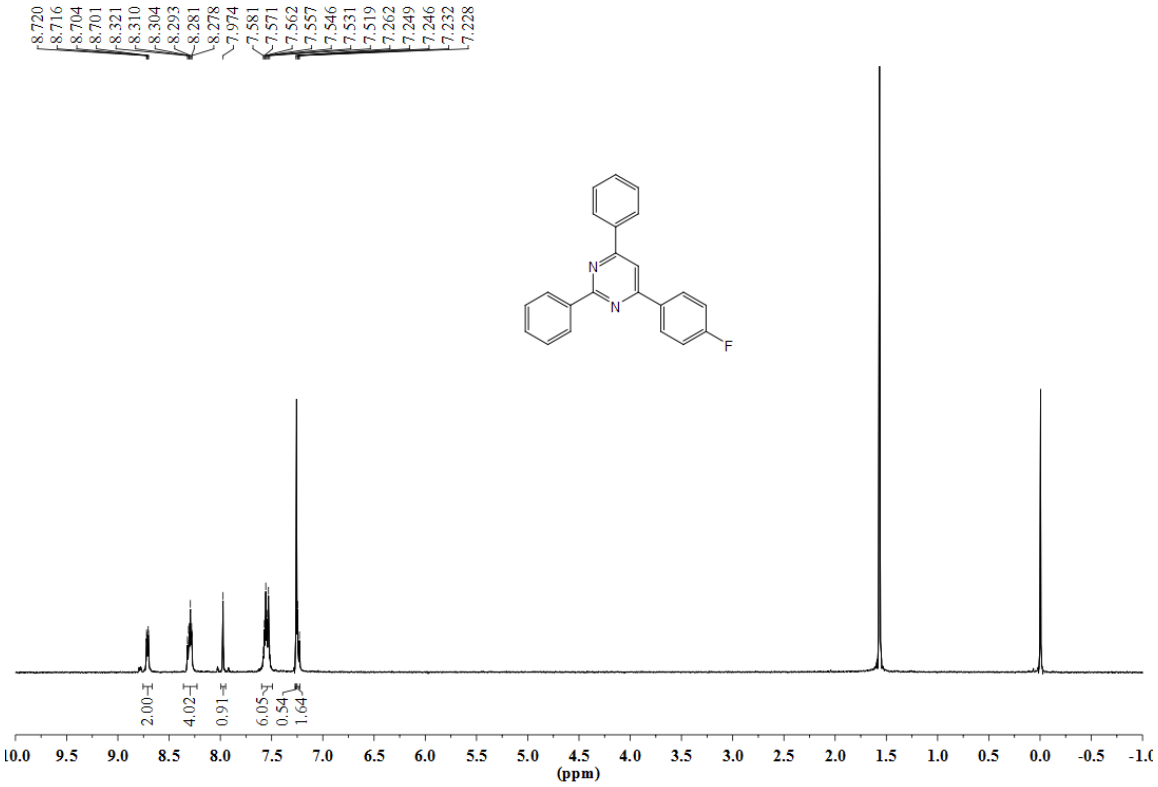

168.54
164.90
137.45
130.68
128.96
127.29
110.13
26.47
N
H3C
N
(ppm)

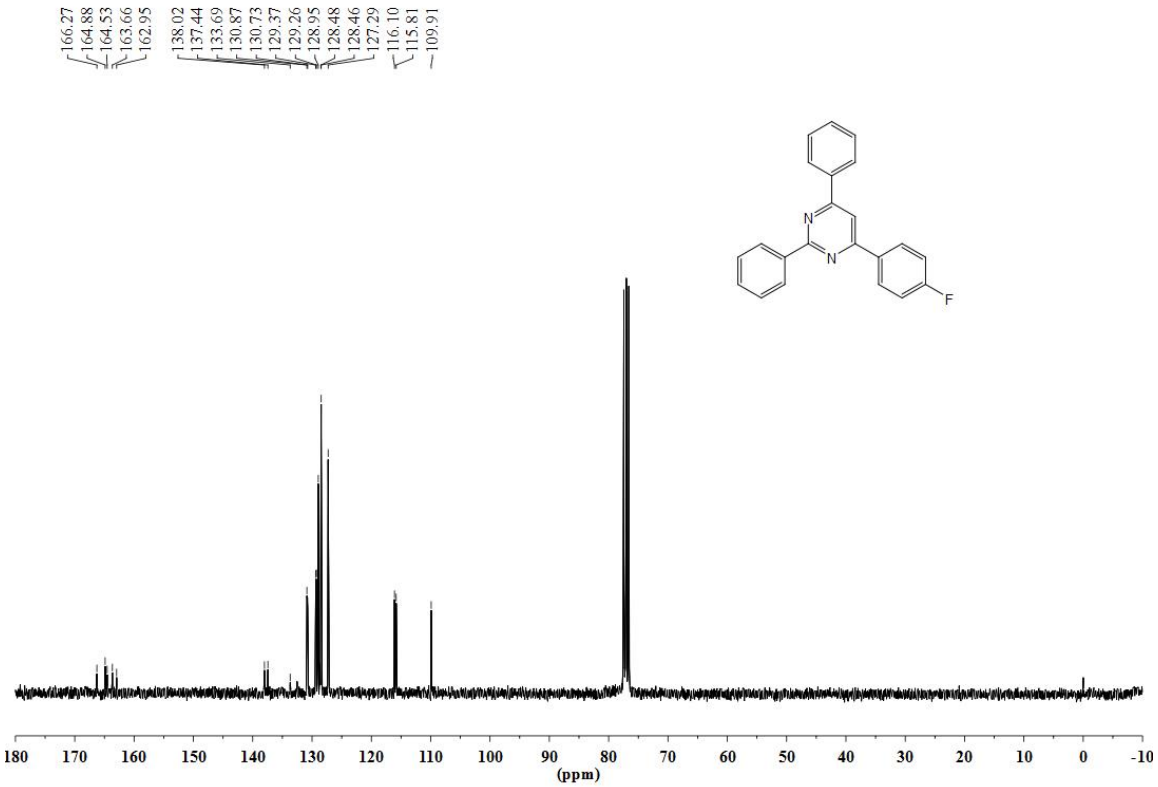
**4q**


8.073
8.053
8.025
7.807
7.483
7.471
7.461
7.430
2.813
Cl
N
H3C
N
4.08
1.07
5.05
3.00
(ppm)

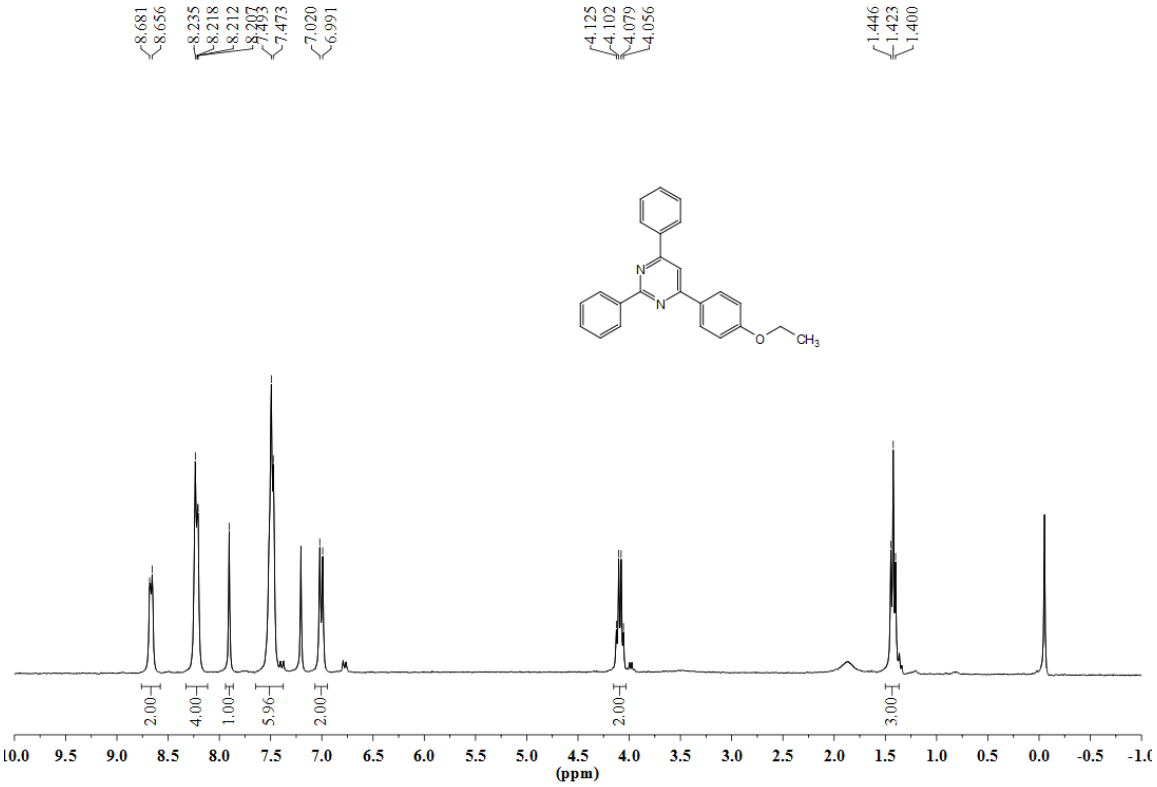

168.675
165.107
163.578
137.313
136.905
135.867
130.793
129.184
128.993
128.560
127.275
109.786
26.471
Cl
N
H3C
N
(ppm)

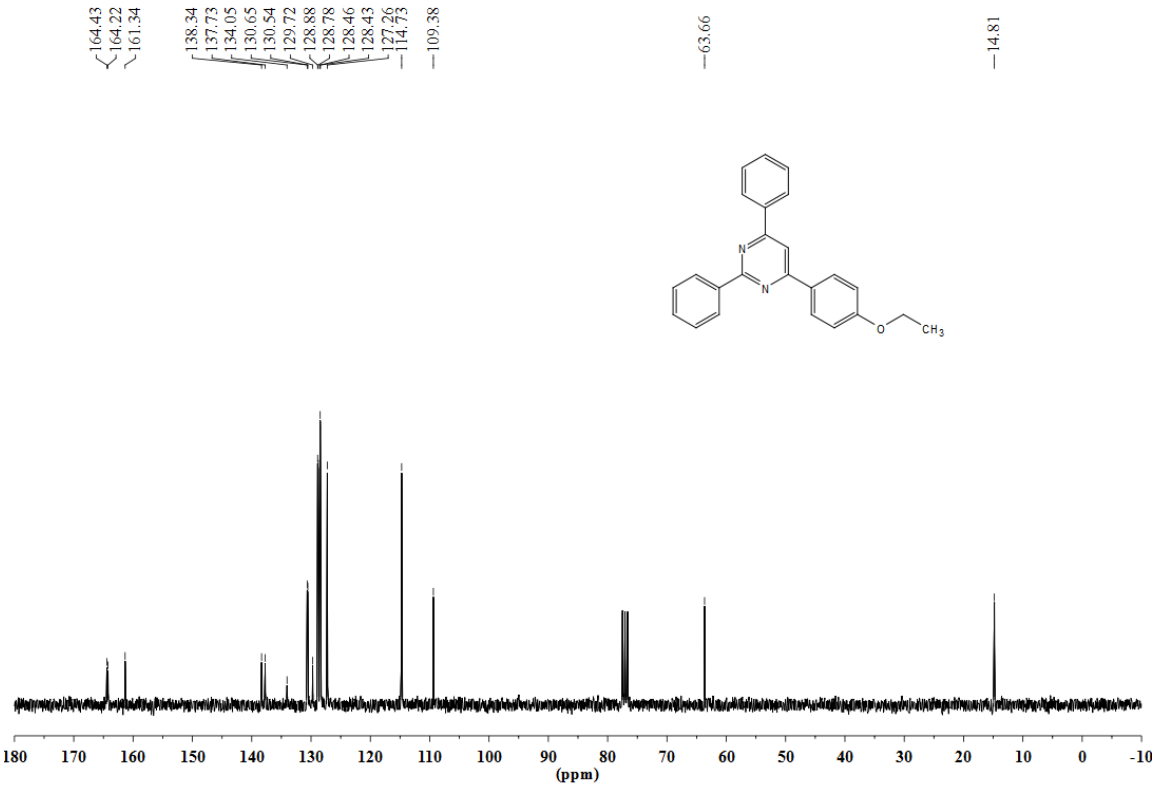
**4r**


8.720
8.716
8.704
8.701
8.321
8.310
8.304
8.293
8.281
8.278
7.974
7.581
7.571
7.562
7.557
7.546
7.531
7.519
7.262
7.249
7.246
7.232
7.228
N
N
F
2.00
4.02
0.91
6.05
0.54
1.64
(ppm)

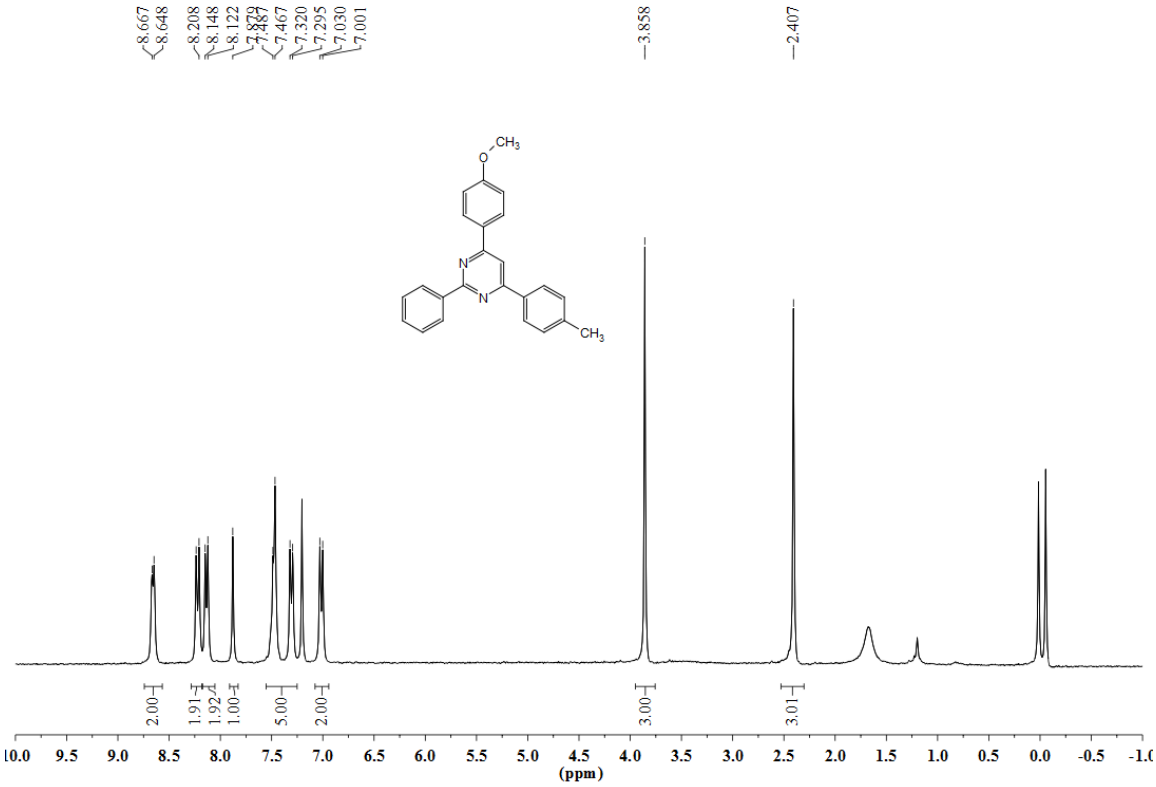

166.27
164.88
164.53
163.66
162.95
138.02
137.44
133.69
130.87
130.73
129.37
129.26
128.95
128.48
128.46
127.29
116.10
115.81
109.91
N
N
F
(ppm)

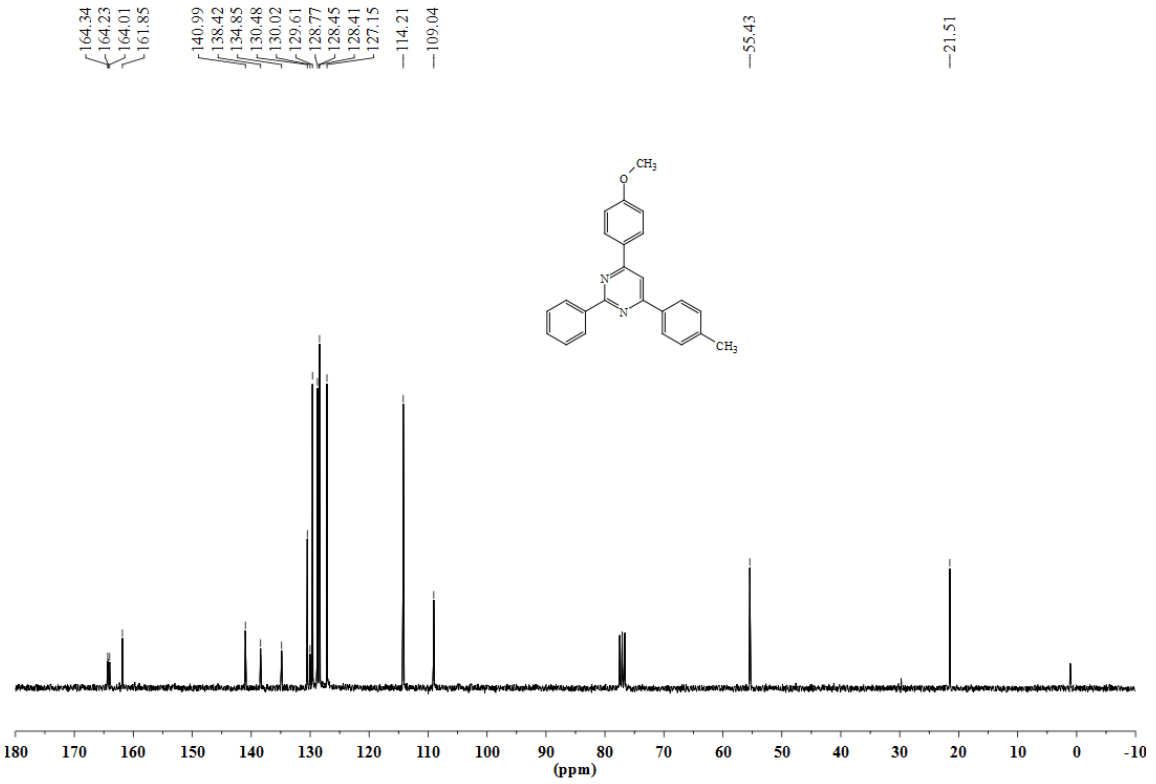
**4s**


8.681
8.656
8.235
8.218
8.212
8.207
7.493
7.473
7.020
6.991
4.125
4.102
4.079
4.056
1.446
1.423
1.400
N
N
O
CH3
2.00
4.00
1.00
5.96
2.00
2.00
3.00
(ppm)

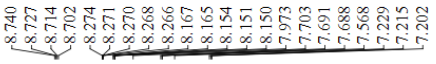

164.43
164.22
161.34
138.34
137.73
134.05
130.65
130.54
129.72
128.88
128.78
128.46
128.43
127.26
114.73
109.38
63.66
14.81
N
N
O
CH3
(ppm)

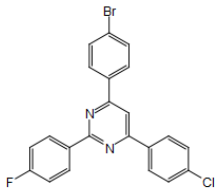
**4t**

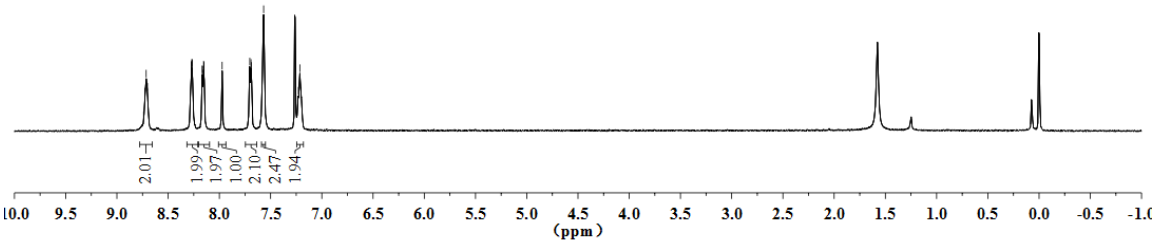

8.667
8.648
8.208
8.148
8.122
7.467
7.320
7.295
7.030
7.001
3.858
2.407
2.00
1.91
1.92
1.00
5.00
2.00
3.00
3.01
(ppm)

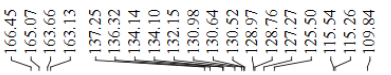

164.34
164.23
164.01
161.85
140.99
138.42
134.85
130.48
130.02
129.61
128.77
128.45
128.41
127.15
114.21
109.04
55.43
21.51
(ppm)

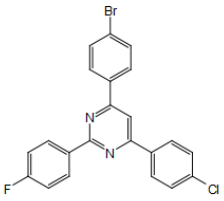
**4u**

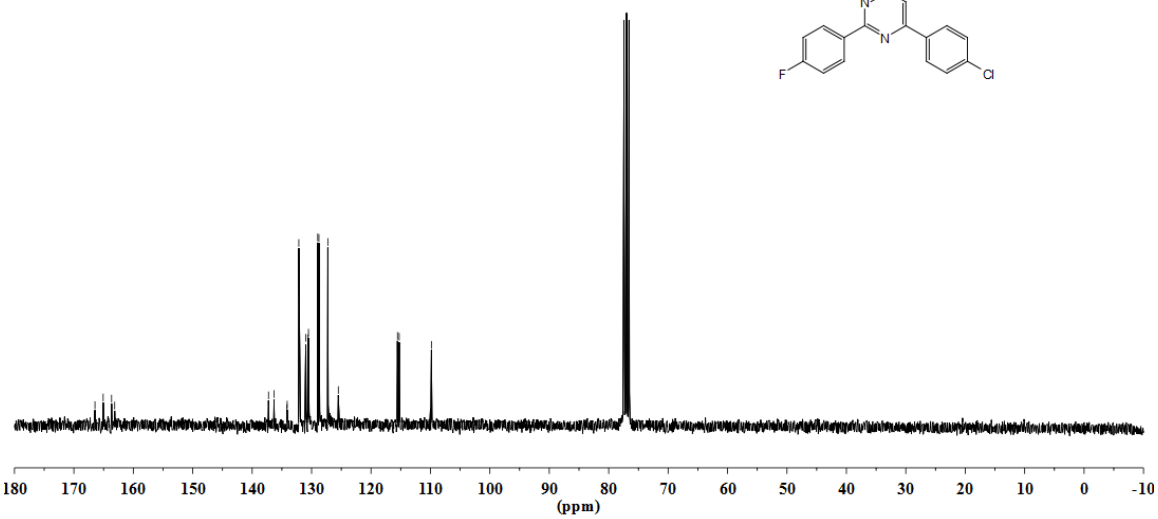

8.740
8.727
8.714
8.702
8.274
8.271
8.270
8.268
8.266
8.167
8.165
8.154
8.151
8.150
7.973
7.703
7.691
7.688
7.568
7.229
7.215
7.202


Br
N
N
F
Cl

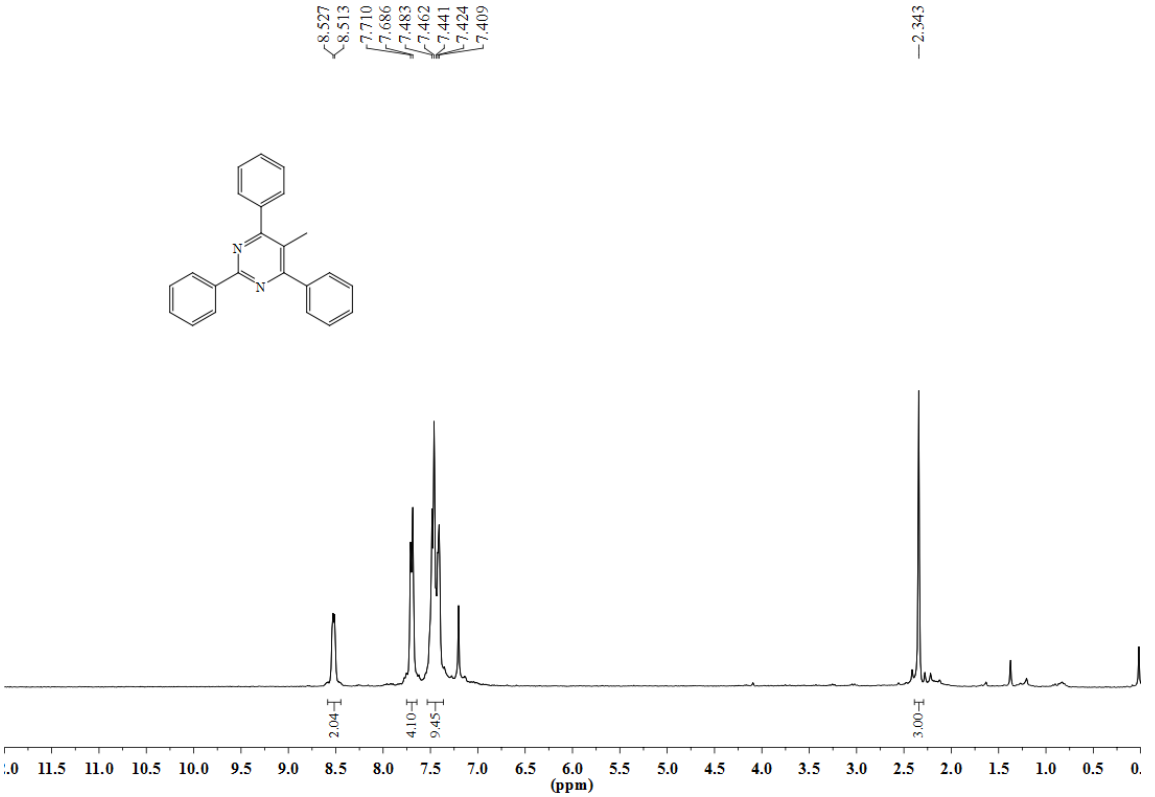

2.01
1.99
1.97
1.00
2.10
2.47
1.94
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
(ppm)

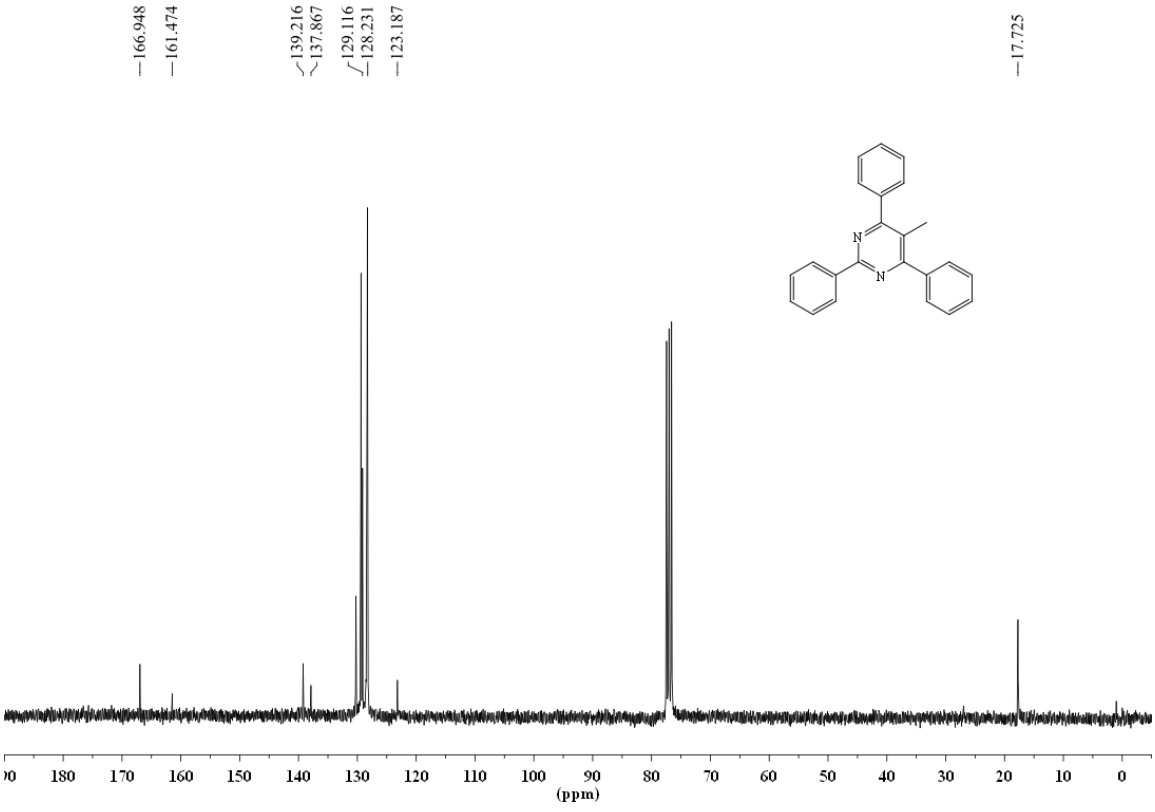

166.45
165.07
163.66
163.13
137.25
136.32
134.14
134.10
132.15
130.98
130.64
130.52
128.97
128.76
127.27
125.50
115.54
115.26
109.84


Br
N
N
F
Cl

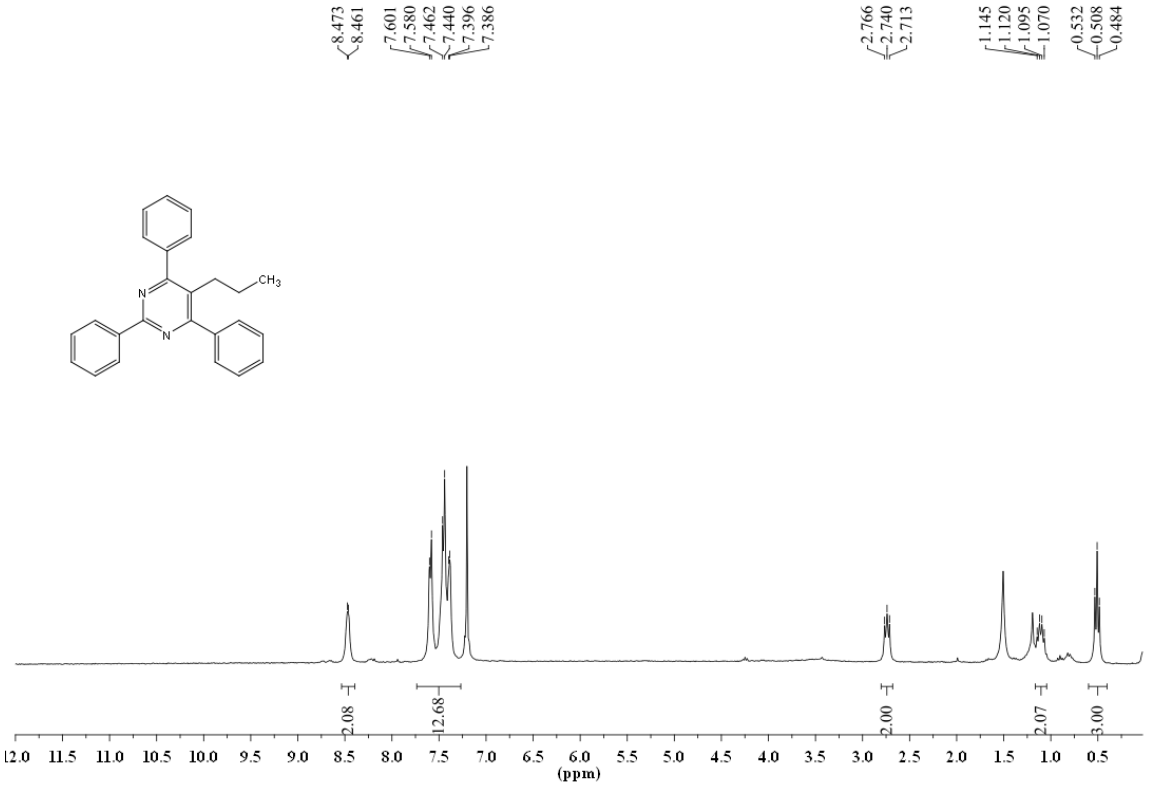

180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
(ppm)

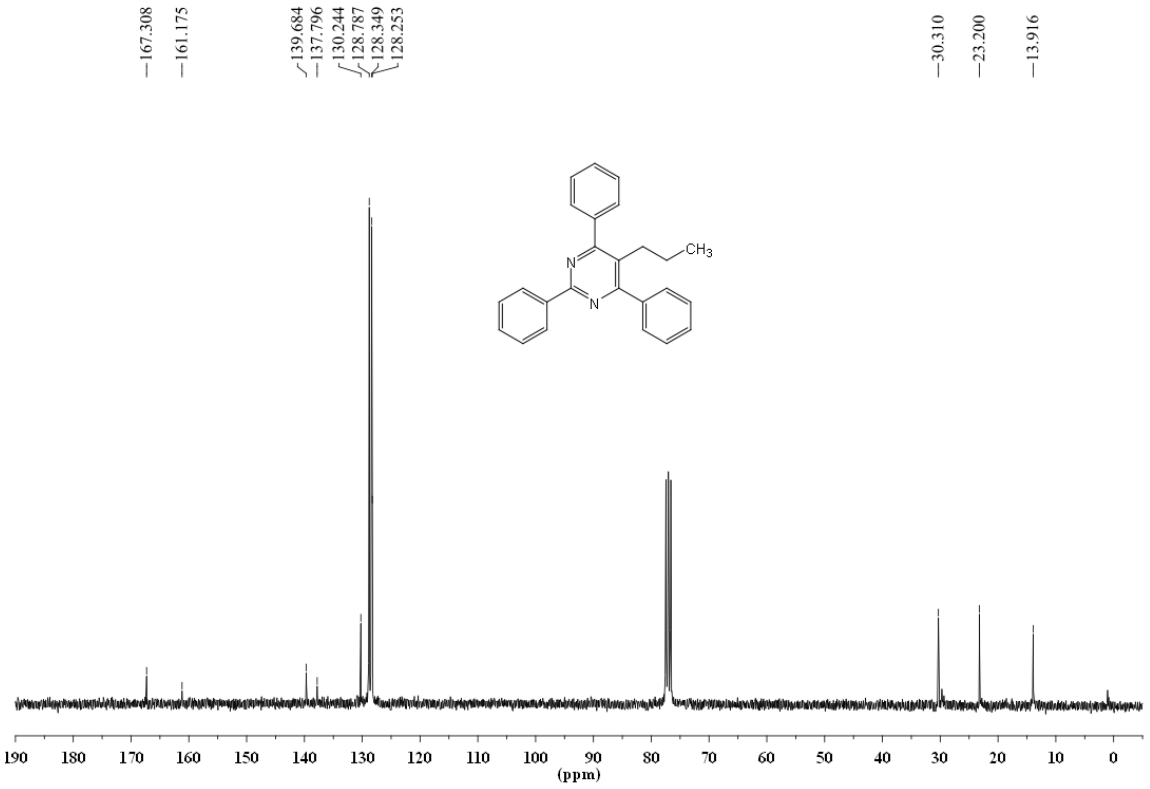
**4v**


8.527
8.513
7.710
7.686
7.483
7.462
7.441
7.424
7.409
2.343
2.04
4.10
9.45
3.00
(ppm)


166.948
161.474
139.216
137.867
129.116
128.231
123.187
17.725
(ppm)

**4w**


8.473
8.461
7.601
7.580
7.462
7.440
7.396
7.386
2.766
2.740
2.713
1.145
1.120
1.095
1.070
0.532
0.508
0.484
CH3
N
N
2.08
12.68
2.00
2.07
3.00
(ppm)


167.308
161.175
139.684
137.796
130.244
128.787
128.349
128.253
30.310
23.200
13.916
CH3
N
N
(ppm)

**4x**