Rhodium-Catalyzed *N*-alkenylation of Ketimines : One-Pot Synthesis of Polysubstituted Pyrroles

Supporting Information

Xue-Wei Qian and Xing-Wen Sun*
Department of Chemistry, Fudan University, 220 Handan Road, 200433, Shanghai, China
E-mail: sunxingwen@fudan.edu.cn

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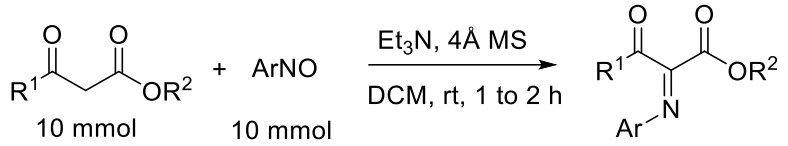
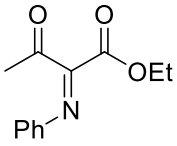
1. General experimental information

Unless otherwise noted, all reagents were purchased from commercial sources and were used without further purification. The solvents were purified and dried according to standard methods prior to use. Imine were prepared based on literature procedures, respectively. The **^{1}H NMR** spectra were recorded at 400 MHz . The **^{13}C NMR** spectra were recorded at 101 MHz. The **^{19}F NMR** spectra were recorded at 376 MHz. Chemical shifts were expressed in parts per million (δ), and were reported as s (singlet), d (doublet), t (triplet), dd (doublet of doublets), m (multiplet), br s (broad singlet), etc. Chemical shifts are reported in δ ppm referenced to an internal SiMe4 standard for **^{1}H NMR** and chloroform-d (δ 77.0) for **^{13}C NMR**. **HRMS** was measured on Brucker micrOTOF II serial 10257. **HPLC** was performed on an Agilent 1100 series instrument uv/vis detector by using Daicel Chiracel AD-H column. Flash column chromatography was performed using silica gel (300 - 400 mesh). Analytical thin–layer chromatography was performed using glass plates pre-coated with 0.25 mm 300 - 400 mesh silica gel impregnated with a fluorescent indicator (254 nm). Thin layer chromatography plates were visualized by exposure to ultraviolet light. Commercial reagents were used as received.

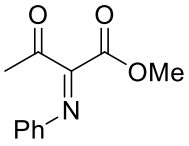
2. Typical procedure for the synthesis of the ketimines:



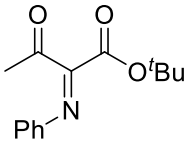
The β-dicarbonyl compound (10 mmol, 1.0 equiv), nitrosobenzene (10 mmol, 1.0 equiv.) and 1 g 4ÅMS were dissolved in 5 mL of dry dichloromethane at rt (25 ˚C). Then Et_3N (1 mmol, 10% equiv) was added. The reaction mixture was stirred for 2 h at rt. The crude reaction mixture was purified by flash chromatography to afford the desired ketimine.



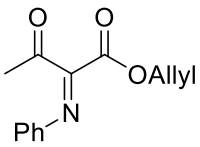
Ethyl (*E*)-3-oxo-2-(phenylimino)butanoate (**1a**): yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.40 – 7.31 (m, 2H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.01 (dd, *J* = 8.4, 1.2 Hz, 2H), 4.16 (q, *J* = 7.1 Hz, 2H), 2.55 (s, 3H), 1.07 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.77, 163.49, 157.47, 147.29, 129.00, 127.04, 119.79, 61.81, 24.63, 13.74. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{12}H_{14}NO_3$: 220.0974; found:220.0974.



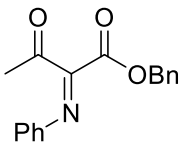
Methyl (*E*)-3-oxo-2-(phenylimino)butanoate (1b): yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.37 (t, *J* = 7.9 Hz, 2H), 7.30 – 7.18 (m, 1H), 7.07 – 6.98 (m, 2H), 3.68 (s, 3H), 2.56 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.77, 164.11, 157.12, 147.20, 129.18, 127.31, 119.91, 52.31, 24.64. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{11}H_{12}NO_3$: 206.0817; found:206.0817.



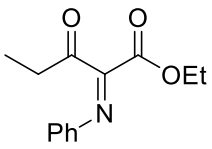
Tert-butyl (*E*)-3-oxo-2-(phenylimino)butanoate (1c): yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.35 (t, *J* = 7.8 Hz, 2H), 7.21 (t, *J* = 7.4 Hz, 1H), 7.07 – 6.98 (m, 2H), 2.53 (s, 3H), 1.31 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.98, 162.54, 158.06, 147.60, 128.86, 126.60, 119.77, 84.42, 27.79, 24.70. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{14}H_{18}NO_3$: 248.1281; found:248.1286.



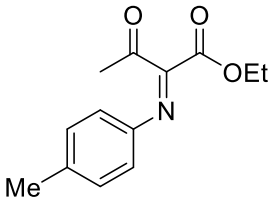
Allyl (*E*)-3-oxo-2-(phenylimino)butanoate (1d) :yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.35 (t, *J* = 7.8 Hz, 2H), 7.27 – 7.19 (m, 1H), 7.07 – 6.98 (m, 2H), 5.67 (ddt, *J* = 16.6, 10.4, 5.9 Hz, 1H), 5.21 – 5.02 (m, 2H), 4.59 (d, *J* = 5.9 Hz, 2H), 2.56 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.70, 163.23, 157.18, 147.26, 130.65, 129.10, 127.18, 119.92, 119.43, 66.19, 24.65. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{13}H_{14}NO_3$: 232.0974; found:232.0974.



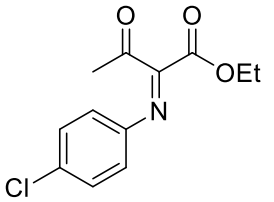
Benzyl (*E*)-3-oxo-2-(phenylimino)butanoate (1e) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.27 – 7.20 (m, 5H), 7.17 (d, *J* = 7.3 Hz, 1H), 7.05 (dd, *J* = 7.6, 1.9 Hz, 2H), 6.94 (dd, *J* = 7.0, 1.6 Hz, 2H), 5.09 (s, 2H), 2.51 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.69, 163.43, 157.07, 147.12, 134.32, 129.13, 128.56, 128.55, 128.53, 127.22, 119.95, 67.47, 24.65. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{17}H_{16}NO_3$: 282.1130; found:282.1130.



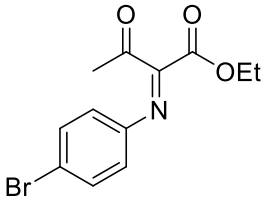
Ethyl (*E*)-3-oxo-2-(phenylimino)pentanoate (1f) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.34 (t, *J* = 7.7 Hz, 2H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.00 (d, *J* = 7.8 Hz, 2H), 4.15 (q, *J* = 7.2 Hz, 2H), 3.02 (q, *J* = 7.1 Hz, 2H), 1.17 (t, *J* = 7.2 Hz, 3H), 1.06 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 199.35, 163.56, 157.16, 147.39, 128.98, 126.91, 119.76, 61.75, 30.33, 13.74, 7.36. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{13}H_{15}NO_3Na$: 256.0950; Found 256.0941.



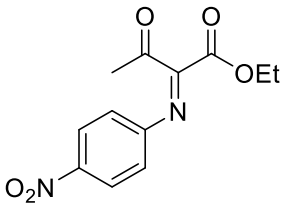
Ethyl (*E*)-3-oxo-2-(p-tolylimino)butanoate (1g) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.15 (d, *J* = 7.9 Hz, 2H), 6.95 (d, *J* = 7.8 Hz, 2H), 4.18 (q, *J* = 7.1 Hz, 2H), 2.52 (s, 3H), 2.33 (s, 3H), 1.12 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.92, 164.00, 156.63, 144.55, 137.51, 129.64, 120.41, 61.76, 24.58, 21.01, 13.78. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{13}H_{15}NO_3Na$: 256.0950; Found 256.0950.



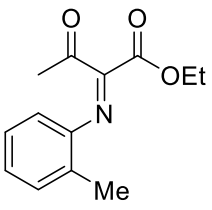
Ethyl (*E*)-2-((4-chlorophenyl)imino)-3-oxobutanoate (1h): yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.31 (d, *J* = 8.1 Hz, 2H), 6.95 (d, *J* = 8.1 Hz, 2H), 4.17 (q, *J* = 7.1 Hz, 2H), 2.52 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.48, 163.24, 157.77, 145.64, 132.75, 129.19, 121.38, 62.02, 24.59, 13.80. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{12}H_{12}ClNO_3Na$: 276.0403; Found 276.0400.



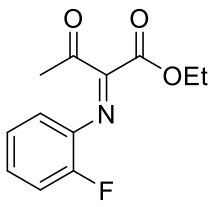
Ethyl (*E*)-2-((4-bromophenyl)imino)-3-oxobutanoate (1i) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.49 (d, *J* = 8.2 Hz, 2H), 6.90 (d, *J* = 8.2 Hz, 2H), 4.19 (q, *J* = 7.1 Hz, 2H), 2.54 (s, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.51, 163.21, 157.77, 146.14, 132.16, 121.61, 120.64, 62.07, 24.63, 13.82. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{12}H_{13}BrNO_3$: 298.0079; found:298.0079.



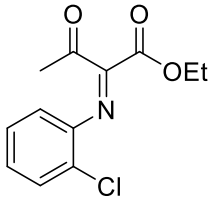
Ethyl (*E*)-2-((4-nitrophenyl)imino)-3-oxobutanoate (1j) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.28 – 8.16 (m, 2H), 7.10 – 7.02 (m, 2H), 4.15 (q, *J* = 7.2 Hz, 2H), 2.57 (s, 3H), 1.08 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.77, 162.00, 158.82, 152.85, 145.88, 124.85, 119.66, 62.41, 24.67, 13.87. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{12}H_{12}N_2O_5Na$: 287.0644; Found 287.0641.



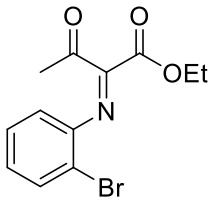
Ethyl (*E*)-3-oxo-2-(o-tolylimino)butanoate (1k): yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.23 – 7.17 (m, 1H), 7.14 – 7.05 (m, 2H), 6.78 – 6.70 (m, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.56 (s, 3H), 2.22 (s, 3H), 1.02 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.63, 163.32, 157.25, 146.37, 130.43, 129.62, 126.86, 126.26, 117.09, 61.71, 24.69, 17.78, 13.74. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{13}H_{15}NO_3Na$: 256.0950; Found 256.0945.



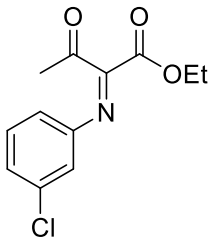
Ethyl (*E*)-2-((2-fluorophenyl)imino)-3-oxobutanoate (1l) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.23 – 7.04 (m, 3H), 6.94 (t, *J* = 7.8 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 2.56 (s, 3H), 1.07 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 196.31, 162.57, 159.03, 153.99, 151.51, 135.35 (d, *J* = 12.0 Hz), 128.21 (d, *J* = 7.5 Hz), 124.25 (d, *J* = 3.9 Hz), 120.63, 116.18 (d, *J* = 19.3 Hz), 61.97, 24.75 (d, *J* = 1.5 Hz), 13.69. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -123.43. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{12}H_{12}FNO_3Na$: 260.0699; Found 260.0697.



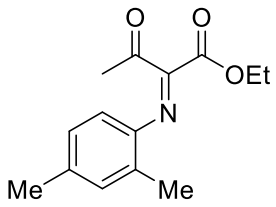
Ethyl (*E*)-2-((2-chlorophenyl)imino)-3-oxobutanoate (1m) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.43 (d, *J* = 9.3 Hz, 1H), 7.25 – 7.11 (m, 2H), 6.86 (d, *J* = 6.0 Hz, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 2.60 (s, 3H), 1.04 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.36, 162.40, 158.51, 144.86, 130.00, 127.52, 127.18, 119.03, 62.00, 24.89, 13.76. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{12}H_{12}ClNO_3Na$: 276.0403; Found 276.0401.



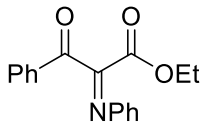
Ethyl (*E*)-2-((2-bromophenyl)imino)-3-oxobutanoate (1n) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.62 (d, *J* = 6.5 Hz, 1H), 7.27 (t, *J* = 6.8 Hz, 1H), 7.11 – 7.03 (m, 1H), 6.86 (d, *J* = 6.4 Hz, 1H), 4.15 (q, *J* = 7.1, 6.0 Hz, 2H), 2.61 (s, 3H), 1.05 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.35, 162.33, 158.13, 146.18, 133.04, 127.88, 127.78, 118.89, 115.30, 61.99, 24.93, 13.77. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{12}H_{12}BrNO_3Na$: 319.9898; found:319.9895.



Ethyl (*E*)-2-((3-chlorophenyl)imino)-3-oxobutanoate (1o) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.30 (t, *J* = 8.1 Hz, 1H), 7.21 (d, *J* = 8.2 Hz, 1H), 7.03 (s, 1H), 6.90 (d, *J* = 7.9 Hz, 1H), 4.20 (q, *J* = 7.2 Hz, 2H), 2.54 (s, 3H), 1.11 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.33, 162.85, 158.28, 148.38, 134.71, 130.16, 126.78, 119.85, 117.88, 62.03, 24.56, 13.78. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{12}H_{12}ClNO_3Na$: 276.0403; Found 276.0401.

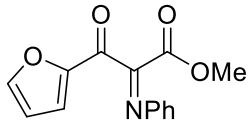


Ethyl (*E*)-2-((2,4-dimethylphenyl)imino)-3-oxobutanoate (1p) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.04 (s, 1H), 6.92 (d, *J* = 8.1 Hz, 1H), 6.69 (d, *J* = 8.1 Hz, 1H), 4.16 (q, *J* = 7.2 Hz, 2H), 2.55 (s, 3H), 2.29 (s, 3H), 2.23 (s, 3H), 1.09 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.80, 163.82, 156.36, 143.55, 137.14, 131.26, 130.57, 126.76, 117.20, 61.66, 24.66, 20.91, 17.76, 13.78. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{14}H_{17}NO_3Na$: 270.1106; Found 270.1100.

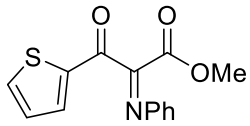


Ethyl -3-oxo-3-phenyl-2-(phenylimino)propanoate (1q) : mixture of *Z* and *E,* yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95 (dd, *J* = 196.2, 7.0 Hz, 2H), 7.64 – 7.19 (m, 4H), 7.19 – 6.72 (m, 4H), 4.39 (q, *J* = 7.1 Hz, 1.34H), 4.17 (q, *J* = 7.1 Hz, 0.63H), 1.31 (t, *J* = 7.1 Hz, 2.01H), 1.06 (t, *J* = 7.1 Hz, 0.94H).

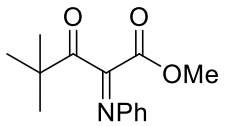
^{13}C NMR (101 MHz, $CDCl_3$) δ 194.06, 189.15, 162.93, 162.00, 158.66, 157.33, 147.80, 146.90, 134.63, 134.38, 134.04, 133.68, 130.82, 129.05, 128.95, 128.85, 128.48, 126.82, 126.71, 120.54, 119.41, 62.92, 62.00, 14.04, 13.75. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{17}H_{16}NO_3$: 282.1130; Found 282.1129.



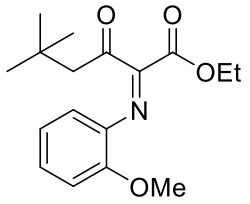
Methyl -3-(furan-2-yl)-3-oxo-2-(phenylimino)propanoate (1r) : mixture of *Z* and *E,* yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.03 – 7.30 (m, 3H), 7.30 – 6.77 (m, 4H), 6.52 (m, 1H), 3.94 (s, 1.19H), 3.69 (s, 1.55H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 180.49, 175.19, 163.23, 162.08, 156.55, 156.48, 150.46, 149.46, 148.91, 148.48, 147.39, 146.76, 129.22, 128.93, 127.20, 126.99, 124.62, 120.63, 120.40, 119.71, 113.05, 112.78, 53.56, 52.47. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{14}H_{12}NO_4$: 258.0766; Found 258.0767.



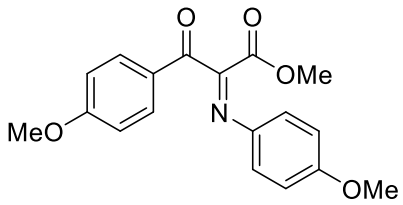
Methyl -3-oxo-2-(phenylimino)-3-(thiophen-2-yl)propanoate (1s) : mixture of *Z* and *E,* yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.35 – 6.87 (m, 8H), 3.96 (s, 0.9H), 3.74 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δδ 185.45, 179.84, 163.55, 162.20, 156.86, 156.52, 146.85, 146.71, 140.76, 137.78, 137.56, 136.97, 136.60, 135.42, 129.26, 129.00, 128.58, 127.90, 127.45, 127.21, 120.79, 120.05, 53.64, 52.49. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{14}H_{12}NO_3S$: 274.0538; Found 274.0538.



Methyl -4,4-dimethyl-3-oxo-2-(phenylimino)pentanoate (1t) :mixture of *Z* and *E*, yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.33 (dt, *J* = 11.7, 7.8 Hz, 2H), 7.18 (q, *J* = 8.5 Hz, 1H), 6.94 (d, *J* = 7.9 Hz, 2H), 3.95 (s, 1.72H), 3.63 (s, 1.27H), 1.41 (s, 3.9H), 0.86 (s, 5.25H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 211.94, 203.77, 163.54, 162.40, 159.83, 156.12, 147.45, 147.38, 129.07, 128.98, 126.72, 126.62, 120.79, 119.31, 53.44, 52.13, 44.48, 43.32, 26.95, 26.27. **HRMS** (ESI) m/z. $[M+H]^+$ calcd for $C_{14}H_{18}NO_3$: 248.1287; Found 248.1293.



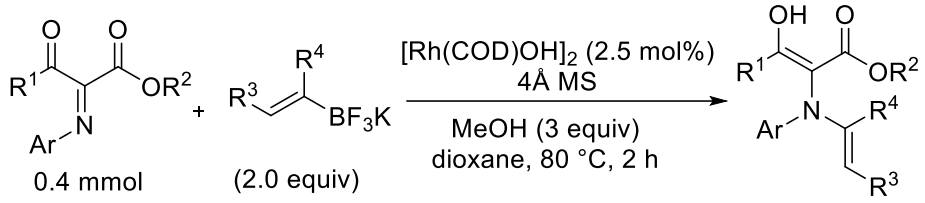
Ethyl (*E*)-2-((2-methoxyphenyl)imino)-5,5-dimethyl-3-oxohexanoate (1u) : yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.20 – 7.10 (m, 1H), 6.89 (t, *J* = 7.7 Hz, 2H), 6.81 (d, *J* = 8.2 Hz, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 3.79 (s, 3H), 2.93 (s, 2H), 1.08 (s, 9H), 1.05 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 199.20, 163.21, 158.71, 149.75, 137.16, 127.68, 120.57, 119.59, 111.49, 61.49, 55.66, 48.29, 31.83, 29.83, 13.81. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{17}H_{23}NO_4Na$: 328.1525; Found 328.1517.



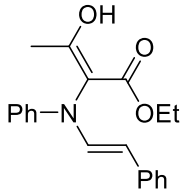
Methyl -3-(4-methoxyphenyl)-2-((4-methoxyphenyl)imino)-3-oxopropanoate (1v) :mixture of *Z* and *E*, yellow oil, **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.68 – 6.41 (m, 8H), 3.83 (s, 3H), 3.70 (s, 3H), 3.59 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 193.47, 187.53, 164.78, 164.32, 162.89, 159.16, 155.89, 155.39, 140.31, 139.55, 133.33, 131.46, 127.40, 126.56, 123.85, 122.40, 116.30, 114.73, 114.44, 114.42, 114.15, 113.75,

55.63, 55.50, 55.40, 55.22, 53.26, 52.32. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{17}NO_5Na$: 350.1004; Found 350.1001.

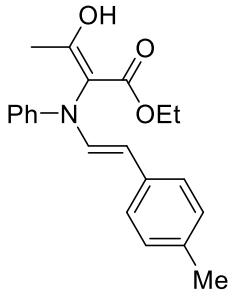
3. Typical procedure for *N*-alkenylation:



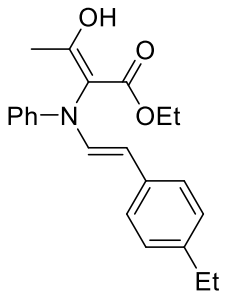
Under argon atmosphere, a mixture of $[Rh(OH)(COD)]_2$ (0.01 mmol, 2.5mol %), ketimine (0.4 mmol), potassium alkenyltrifluoroborates (0.8 mmol, 2 equiv) and 150mg 4Å MS was added MeOH (1.2 mmol, 3 equiv) and dioxane (2 mL). The mixture was stirred at 80˚C for 2 hours, After the reaction is completed, the mixture was filtered through a thin-layer of celite. The filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel (eluent: EtOAc/petroleum ether = 1/50 to1/10) to afford product as colorless oil.



Ethyl (*E*)-3-hydroxy-2-(phenyl((*E*)-styryl)amino)but-2-enoate (3aa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 98% yield (126.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.59 (s, 1H), 7.36 (d, *J* = 13.8 Hz, 1H), 7.25 (q, *J* = 6.8, 5.8 Hz, 6H), 7.06 (t, *J* = 5.0 Hz, 1H), 6.93 (d, *J* = 8.9 Hz, 3H), 5.60 (d, *J* = 13.9 Hz, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 1.89 (s, 3H), 1.08 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.37, 171.23, 145.97, 138.16, 131.43, 129.31, 128.59, 124.91, 124.59, 121.12, 116.13, 106.47, 105.67, 60.96, 17.63, 14.11. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{21}NO_3Na$: 346.1419; found: 346.1413.

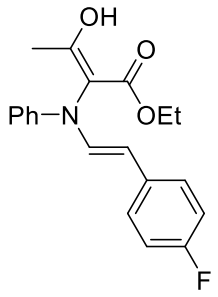


Ethyl (*E*)-3-hydroxy-2-(((*E*)-4-methylstyryl)(phenyl)amino)but-2-enoate (3ab) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 95% yield (128.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 7.41 – 7.23 (m, 3H), 7.19 (d, *J* = 7.7 Hz, 2H), 7.08 (d, *J* = 7.4 Hz, 2H), 6.95 (d, *J* = 7.5 Hz, 3H), 5.62 (d, *J* = 13.8 Hz, 1H), 4.18 (q, *J* = 7.0 Hz, 2H), 2.32 (s, 3H), 1.92 (s, 3H), 1.11 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.34, 171.29, 146.03, 135.22, 134.57, 130.66, 129.29, 124.53, 120.91, 115.98, 106.57, 105.71, 60.92, 20.99, 17.63, 14.12. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{23}NO_3Na$: 360.1576; found: 360.1571.

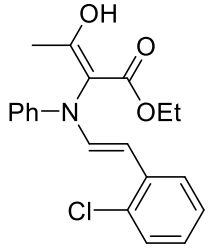


Ethyl (*E*)-2-(((*E*)-4-ethylstyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3ac) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 95% yield (133.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 7.35 (d, *J* = 13.9 Hz, 1H), 7.32 – 7.25 (m, 2H), 7.21 (d, *J* = 7.9 Hz, 2H), 7.11 (d, *J* = 7.9 Hz, 2H), 6.98 – 6.91 (m, 3H), 5.63 (d, *J* = 13.9 Hz, 1H), 4.17 (q, *J* = 7.1 Hz, 2H), 2.61 (q, *J* = 7.6 Hz, 2H), 1.91 (s, 3H), 1.23 (t, *J* = 7.6 Hz, 3H), 1.11 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.38, 171.34, 146.09, 141.16, 135.55,

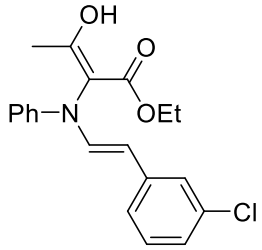
130.77, 129.33, 128.15, 124.64, 120.96, 116.04, 106.62, 105.77, 60.96, 28.49, 17.65, 15.72, 14.15. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{25}NO_3Na$: 374.1732; found: 374.1722.



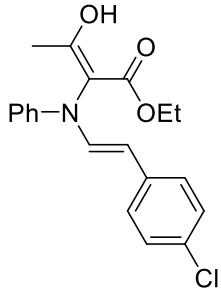
Ethyl (*E*)-2-(((*E*)-4-fluorostyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3ad) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 87% yield (118.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.61 (s, 1H), 7.45 – 7.10 (m, 5H), 6.94 (d, *J* = 7.8 Hz, 5H), 5.59 (d, *J* = 13.9 Hz, 1H), 4.17 (q, *J* = 7.2 Hz, 2H), 1.91 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.39, 171.20, 161.97, 159.55, 145.94, 134.25, 134.22, 131.27, 131.25, 129.34, 125.83, 125.76, 121.16, 116.10, 115.49, 115.28, 105.66, 105.46, 60.97, 17.64, 14.12. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -118.23. **HRMS** (ESI) m/z $[M+Na]^+$. calcd for $C_{20}H_{20}FNO_3Na$: 364.1325; found: 364.1323.



Ethyl (*E*)-2-(((*E*)-2-chlorostyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3ae) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 90% yield (128.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.65 (s, 1H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.39 – 7.22 (m, 4H), 7.17 (t, *J* = 7.6 Hz, 1H), 7.09 – 6.85 (m, 4H), 5.95 (d, *J* = 13.8 Hz, 1H), 4.38 – 4.05 (m, 2H), 1.95 (s, 3H), 1.14 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.47, 171.01, 145.85, 136.31, 133.49, 131.53, 129.66, 129.35, 126.72, 125.86, 124.91, 121.51, 116.38, 105.56, 102.97, 61.01, 17.62, 14.15. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}ClNO_3Na$: 380.1029; found: 380.1028.

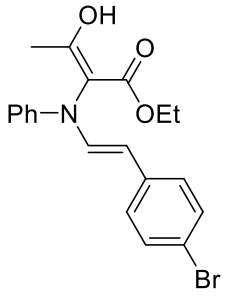


Ethyl (*E*)-2-(((*E*)-3-chlorostyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3af) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 93% yield (132.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 7.39 (d, *J* = 13.8 Hz, 1H), 7.29 (dd, *J* = 16.7, 9.0 Hz, 2H), 7.14 (dd, *J* = 18.5, 7.7 Hz, 2H), 7.04 (d, *J* = 7.6 Hz, 1H), 6.97 (d, *J* = 8.2 Hz, 3H), 5.55 (d, *J* = 13.8 Hz, 1H), 4.18 (q, *J* = 7.1 Hz, 2H), 1.92 (s, 3H), 1.12 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.41, 171.03, 145.75, 140.24, 134.47, 132.64, 129.71, 129.36, 124.61, 124.23, 122.74, 121.57, 116.41, 105.53, 104.89, 61.02, 17.63, 14.11. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}ClNO_3Na$: 380.1029; found: 380.1023.

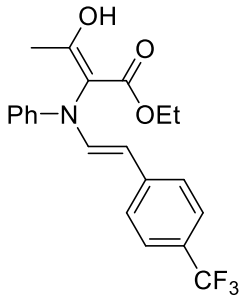


Ethyl (*E*)-2-(((*E*)-4-chlorostyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3ag) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 92% yield (131.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.60 (s, 1H), 7.34 (d, *J* = 13.8 Hz, 1H), 7.28 (t, *J* = 7.9 Hz, 2H), 7.23 – 7.13 (m, 4H), 6.94 (d, *J* = 8.2 Hz, 3H), 5.56 (d, *J* = 13.8 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 1.90 (s, 3H), 1.09 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.44, 171.15, 145.89, 136.81, 132.07, 130.14, 129.40, 128.67, 125.72, 121.46, 116.34, 105.67, 105.25, 61.03, 17.69, 14.16. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}ClNO_3Na$: 380.1029; found:

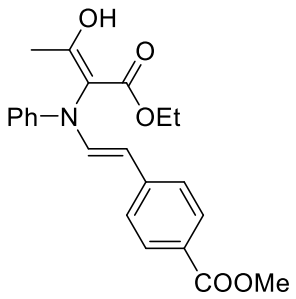
380.1026.



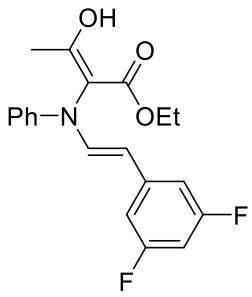
Ethyl (*E*)-2-(((*E*)-4-bromostyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3ah) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 93% yield (149.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.60 (s, 1H), 7.39 – 7.22 (m, 5H), 7.11 (d, *J* = 8.1 Hz, 2H), 6.94 (d, *J* = 8.5 Hz, 3H), 5.53 (d, *J* = 13.7 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 1.89 (s, 3H), 1.09 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.40, 171.08, 145.81, 137.22, 132.11, 131.54, 129.37, 126.04, 121.46, 117.94, 116.32, 105.59, 105.16, 61.01, 17.66, 14.12. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}BrNO_3Na$: 424.0524; found: 424.0524.



Ethyl (*E*)-3-hydroxy-2-(phenyl((*E*)-4-(trifluoromethyl)styryl)amino)but-2-enoate (3ai) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 91% yield (142.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.66 (s, 1H), 7.54 – 7.46 (m, 3H), 7.44 – 7.30 (m, 4H), 7.01 (d, *J* = 8.7 Hz, 3H), 5.65 (d, *J* = 13.8 Hz, 1H), 4.20 (q, *J* = 7.1 Hz, 2H), 1.95 (s, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.50, 171.01, 145.78, 142.10, 133.71, 129.46, 125.61, 125.58, 125.54, 125.50, 124.38, 121.94, 116.71, 105.61, 104.82, 61.10, 17.69, 14.14. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -62.09. **HRMS** (ESI) m/z $[M+Na]^+$ calcd for $C_{21}H_{20}F_3NO_3Na$: 414.1293; found: 414.1290.

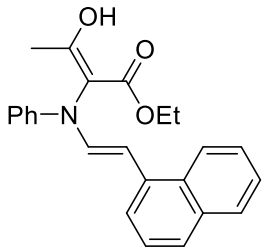


Methyl 4-((*E*)-2-(((*E*)-1-ethoxy-3-hydroxy-1-oxobut-2-en-2-yl)(phenyl)amino)vinyl)benzoate (3aj) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 91% yield (138.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.64 (s, 1H), 7.92 (d, *J* = 8.1 Hz, 2H), 7.53 (d, *J* = 13.7 Hz, 1H), 7.30 (q, *J* = 11.2, 10.1 Hz, 4H), 6.99 (d, *J* = 8.1 Hz, 3H), 5.63 (d, *J* = 13.7 Hz, 1H), 4.18 (q, *J* = 7.1 Hz, 2H), 3.89 (s, 3H), 1.93 (s, 3H), 1.11 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.44, 170.93, 167.11, 145.67, 143.31, 133.87, 130.05, 129.40, 125.98, 124.04, 121.92, 116.69, 105.50, 105.23, 61.07, 51.83, 17.68, 14.10. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{23}NO_5Na$: 404.1474; found: 404.1464.

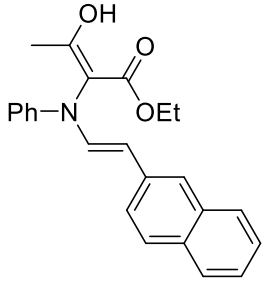


Ethyl (*E*)-2-(((*E*)-3,5-difluorostyryl)(phenyl)amino)-3-hydroxybut-2-enoate (3ak) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 89% yield (127.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.63 (s, 1H), 7.41 (d, *J* = 13.7 Hz, 1H), 7.37 – 7.28 (m, 2H), 7.07 – 6.88 (m, 3H), 6.75 (d, *J* = 7.2 Hz, 2H), 6.51 (tt, *J* = 9.0, 2.3 Hz, 1H), 5.53 (d, *J* = 13.7 Hz, 1H), 4.19 (q, *J* = 7.1 Hz, 2H), 1.92 (s, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.48, 170.93, 164.65 (d, *J* = 13.8 Hz), 162.20 (d, *J* = 13.6 Hz), 145.67, 141.88 (d, *J* = 10.2 Hz), 133.81, 129.45, 122.00, 116.71, 107.52 – 106.16 (m),

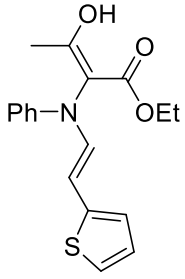
105.55, 104.39, 99.72 (t, J = 25.9 Hz), 61.11, 24.80, 17.67, 14.14. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -111.04. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{19}F_2NO_3Na$: 382.1231; found: 382.1227.



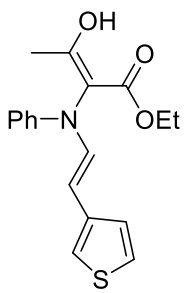
Ethyl (*E*)-3-hydroxy-2-(((*E*)-2-(naphthalen-1-yl)vinyl)(phenyl)amino)but-2-enoate (3al) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 92% yield (137.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.69 (s, 1H), 8.08 – 7.99 (m, 1H), 7.90 – 7.79 (m, 1H), 7.68 (d, J = 8.2 Hz, 1H), 7.54 (d, J = 7.1 Hz, 1H), 7.51 – 7.46 (m, 2H), 7.45 – 7.35 (m, 2H), 7.35 – 7.28 (m, 2H), 6.99 (dd, J = 16.7, 7.9 Hz, 3H), 6.34 (d, J = 13.5 Hz, 1H), 4.33 – 4.14 (m, 2H), 2.02 (s, 3H), 1.17 (t, J = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.44, 171.31, 146.02, 135.52, 133.89, 133.57, 131.10, 129.40, 128.52, 125.83, 125.79, 125.67, 125.56, 123.92, 121.75, 121.24, 116.15, 105.94, 103.52, 61.07, 17.74, 14.25. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{24}H_{23}NO_3Na$: 396.1576; found: 396.1582.



Ethyl (*E*)-3-hydroxy-2-(((*E*)-2-(naphthalen-2-yl)vinyl)(phenyl)amino)but-2-enoate (3am) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 91% yield (135.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.70 (s, 1H), 7.76 (t, J = 7.2 Hz, 3H), 7.62 (s, 1H), 7.60 – 7.53 (m, 2H), 7.44 (t, J = 7.5 Hz, 1H), 7.35 (dd, J = 17.0, 8.2 Hz, 3H), 7.02 (dd, J = 15.9, 7.9 Hz, 3H), 5.84 (d, J = 13.8 Hz, 1H), 4.22 (qd, J = 7.2, 2.4 Hz, 2H), 1.98 (s, 3H), 1.14 (t, J = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.50, 171.29, 146.04, 135.77, 134.15, 131.94, 131.73, 129.43, 128.14, 127.64, 127.30, 126.21, 124.66, 123.17, 122.99, 121.34, 116.33, 106.74, 105.78, 61.06, 17.75, 14.20. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{24}H_{23}NO_3Na$: 396.1576; found: 396.1574.

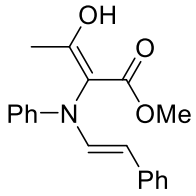


Ethyl (*E*)-3-hydroxy-2-(phenyl((*E*)-2-(thiophen-2-yl)vinyl)amino)but-2-enoate (3an) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 87% yield (114.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.61 (s, 1H), 7.50 – 7.13 (m, 3H), 7.06 – 6.84 (m, 5H), 6.75 (d, J = 3.5 Hz, 1H), 5.81 (d, J = 13.7 Hz, 1H), 4.17 (q, J = 7.1 Hz, 2H), 1.91 (s, 3H), 1.12 (t, J = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.49, 171.11, 145.62, 142.85, 131.57, 129.34, 127.38, 121.69, 121.26, 120.38, 116.12, 105.48, 100.70, 61.02, 17.64, 14.11. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{19}NO_3SNa$: 352.0983; found: 352.0986.

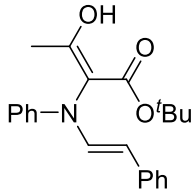


Ethyl (*E*)-3-hydroxy-2-(phenyl((*E*)-2-(thiophen-3-yl)vinyl)amino)but-2-enoate (3ao) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 87% yield (114.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 7.34 – 7.20 (m, 4H), 7.15 (d, J = 5.1 Hz, 1H), 6.93 (d, J = 8.8 Hz, 3H), 6.89 (d, J = 3.0 Hz, 1H), 5.69 (d, J = 13.8 Hz, 1H), 4.17 (q, J = 7.1, 6.4 Hz, 2H), 1.91 (s, 3H), 1.11 (t, J = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.50, 171.33, 145.98, 139.71, 131.64, 129.36,

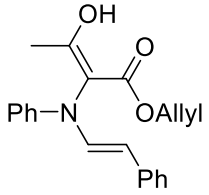
125.81, 124.51, 121.02, 116.80, 116.04, 105.64, 101.79, 61.01, 17.68, 14.17. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{19}NO_3SNa$: 352.0983; found: 352.0983.



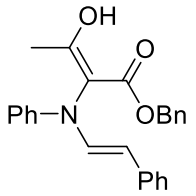
Methyl (*E*)-3-hydroxy-2-(phenyl((*E*)-styryl)amino)but-2-enoate (3ba) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 96% yield (118.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.57 (s, 1H), 7.42 (d, *J* = 13.8 Hz, 1H), 7.29 (q, *J* = 7.5, 7.1 Hz, 6H), 7.10 (d, *J* = 6.7 Hz, 1H), 6.95 (d, *J* = 8.4 Hz, 3H), 5.63 (d, *J* = 13.8 Hz, 1H), 3.70 (s, 3H), 1.92 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.67, 171.72, 145.73, 138.01, 131.18, 129.42, 128.62, 125.01, 124.63, 121.16, 115.85, 106.55, 105.30, 52.13, 17.62. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{19}H_{19}NO_3Na$: 332.1263; found: 332.1260.



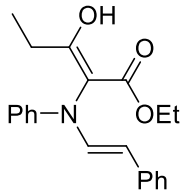
Methyl (*E*)-3-hydroxy-2-(phenyl((*E*)-styryl)amino)but-2-enoate (3ca) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 96% yield (135.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.72 (s, 1H), 7.30 (m, 7H), 7.07 (t, *J* = 6.8 Hz, 1H), 6.94 (d, *J* = 7.5 Hz, 2H), 5.62 (d, *J* = 13.8 Hz, 1H), 1.90 (s, 3H), 1.31 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 175.50, 170.84, 146.31, 138.44, 131.66, 129.18, 128.60, 124.78, 124.54, 121.04, 116.43, 106.71, 106.23, 82.15, 28.02, 17.68. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{25}NO_3Na$: 374.1732; found: 374.1727.



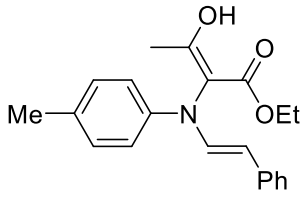
Allyl (*E*)-3-hydroxy-2-(phenyl((*E*)-styryl)amino)but-2-enoate (3da) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 79% yield (105.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.56 (s, 1H), 7.42 (d, *J* = 13.9 Hz, 1H), 7.38 – 7.19 (m, 6H), 7.11 (tt, *J* = 5.7, 2.4 Hz, 1H), 6.99 (d, *J* = 8.7 Hz, 3H), 5.82 – 5.71 (m, 1H), 5.68 (d, *J* = 13.9 Hz, 1H), 5.18 – 4.96 (m, 2H), 4.66 (d, *J* = 5.2 Hz, 2H), 1.96 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.97, 145.91, 138.13, 131.52, 131.40, 129.41, 128.63, 125.01, 124.68, 121.23, 117.60, 116.13, 106.72, 65.01, 17.71. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{21}NO_3Na$: 358.1419; found: 358.1413.



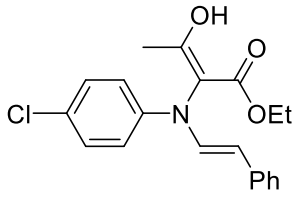
Benzyl (*E*)-3-hydroxy-2-(phenyl((*E*)-styryl)amino)but-2-enoate (3ea) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 93% yield (143.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.51 (s, 1H), 7.42 (d, *J* = 13.9 Hz, 1H), 7.35 – 7.24 (m, 6H), 7.21 – 7.09 (m, 4H), 7.07 (d, *J* = 6.5 Hz, 2H), 7.03 – 6.92 (m, 3H), 5.67 (d, *J* = 13.9 Hz, 1H), 5.44 – 4.95 (m, 2H), 1.96 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.92, 171.06, 145.99, 138.07, 135.68, 131.48, 129.43, 128.62, 128.34, 127.86, 127.28, 125.04, 124.73, 121.28, 116.31, 106.96, 105.66, 66.24, 17.74. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{25}H_{23}NO_3Na$: 408.1576; found: 408.1575.



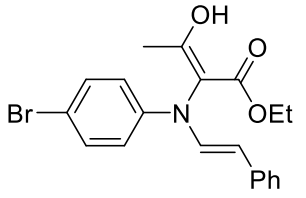
Ethyl (*E*)-3-hydroxy-2-(phenyl((*E*)-styryl)amino)pent-2-enoate (3fa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 94% yield (126.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.77 (s, 1H), 7.42 (d, *J* = 13.8 Hz, 1H), 7.36 – 7.21 (m, 6H), 7.10 (tt, *J* = 5.7, 2.7 Hz, 1H), 7.05 – 6.87 (m, 3H), 5.65 (d, *J* = 13.8 Hz, 1H), 4.19 (q, *J* = 7.1 Hz, 2H), 2.26 (ddt, *J* = 19.1, 14.7, 7.3 Hz, 2H), 1.12 (t, *J* = 7.1 Hz, 3H), 1.06 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 180.17, 171.43, 146.36, 138.26, 131.98, 129.30, 128.63, 124.94, 124.65, 121.12, 116.24, 106.77, 104.85, 60.99, 24.28, 14.17, 9.86. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{23}NO_3Na$: 360.1576; found: 360.1578.



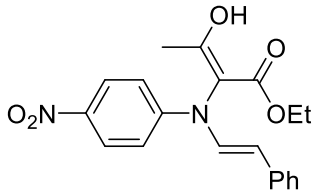
Ethyl (*E*)-3-hydroxy-2-(((*E*)-styryl)(p-tolyl)amino)but-2-enoate (3ga) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 91% yield (122.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 7.38 – 7.22 (m, 3H), 7.19 (d, *J* = 7.7 Hz, 2H), 7.08 (d, *J* = 7.4 Hz, 2H), 6.95 (d, *J* = 7.5 Hz, 3H), 5.62 (d, *J* = 13.8 Hz, 1H), 4.18 (q, *J* = 7.0 Hz, 2H), 2.32 (s, 3H), 1.92 (s, 3H), 1.11 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.34, 171.29, 146.03, 135.22, 134.57, 130.66, 129.29, 124.53, 120.91, 115.98, 106.57, 105.71, 60.92, 20.99, 17.63, 14.12. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{23}NO_3Na$: 360.1576; found: 360.1566.



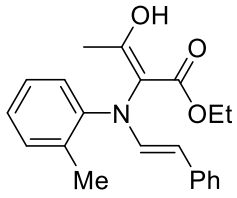
Ethyl (*E*)-2-((4-chlorophenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3ha) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 93% yield (132.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.60 (s, 1H), 7.34 (d, *J* = 13.8 Hz, 1H), 7.28 (t, *J* = 7.9 Hz, 2H), 7.24 – 7.12 (m, 4H), 6.94 (d, *J* = 8.2 Hz, 3H), 5.56 (d, *J* = 13.8 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 1.90 (s, 3H), 1.09 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.44, 171.15, 145.89, 136.81, 132.07, 130.14, 129.40, 128.67, 125.72, 121.46, 116.34, 105.67, 105.25, 61.03, 17.69, 14.16. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}ClNO_3Na$: 380.1029; found: 380.1028.



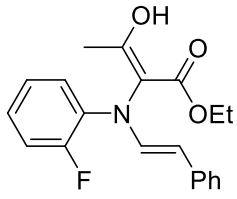
Ethyl (*E*)-2-((4-bromophenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3ia) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 95% yield (152.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.60 (s, 1H), 7.50 – 7.16 (m, 5H), 7.11 (d, *J* = 8.1 Hz, 2H), 6.94 (d, *J* = 8.5 Hz, 3H), 5.53 (d, *J* = 13.7 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 1.89 (s, 3H), 1.09 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.40, 171.08, 145.81, 137.22, 132.11, 131.54, 129.37, 126.04, 121.46, 117.94, 116.32, 105.59, 105.16, 61.01, 17.66, 14.12. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}BrNO_3Na$: 424.0524; found: 424.0528.



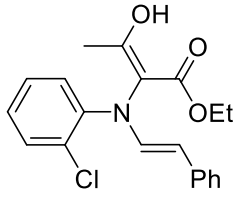
Ethyl (*E*)-3-hydroxy-2-((4-nitrophenyl)((*E*)-styryl)amino)but-2-enoate (3ja) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 98% yield (144.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.70 (s, 1H), 8.19 (d, *J* = 8.7 Hz, 2H), 7.42 (d, *J* = 13.8 Hz, 1H), 7.32 (d, *J* = 7.2 Hz, 4H), 7.20 (d, *J* = 6.4 Hz, 1H), 6.99 (d, *J* = 8.7 Hz, 2H), 5.91 (d, *J* = 13.8 Hz, 1H), 4.21 (q, *J* = 7.1 Hz, 2H), 1.93 (s, 3H), 1.13 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.81, 170.31, 150.67, 140.92, 136.63, 129.09, 128.77, 126.32, 125.92, 125.30, 114.31, 111.72, 104.89, 61.41, 17.62, 14.13. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}N_2O_5Na$: 391.1270; found: 391.1267.



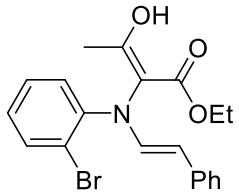
Ethyl (*E*)-3-hydroxy-2-(((*E*)-styryl)(o-tolyl)amino)but-2-enoate (3ka) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 83% yield (111.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.60 (s, 1H), 7.28 – 7.11 (m, 6H), 7.12 – 6.98 (m, 3H), 6.94 (d, *J* = 14.0 Hz, 1H), 5.42 (d, *J* = 14.0 Hz, 1H), 4.32 – 4.07 (m, 2H), 2.37 (s, 3H), 2.06 (s, 3H), 1.16 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 175.41, 171.60, 145.13, 138.70, 136.26, 132.04, 131.67, 128.59, 126.49, 124.43, 124.24, 124.17, 123.57, 108.86, 103.81, 61.00, 19.44, 18.58, 14.04. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{23}NO_3Na$: 360.1576; found: 360.1577.



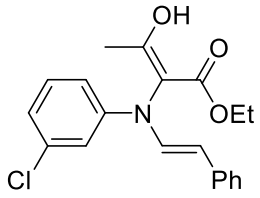
Ethyl (*E*)-2-((2-fluorophenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3la) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 81% yield (110.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.53 (s, 1H), 7.22 (d, *J* = 4.4 Hz, 4H), 7.15 – 6.91 (m, 6H), 5.54 (d, *J* = 13.9 Hz, 1H), 4.39 – 4.05 (m, 2H), 1.99 (s, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.32, 171.27, 156.49, 154.02, 138.16, 134.60 (d, *J* = 3.8 Hz), 128.59, 124.82, 124.59, 124.39 (d, *J* = 3.7 Hz), 124.02 (d, *J* = 7.6 Hz), 123.59, 116.88 (d, *J* = 20.7 Hz), 107.04, 105.16, 60.96, 17.88, 14.09. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -124.16. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}FNO_3Na$: 364.1325; found: 364.1320.



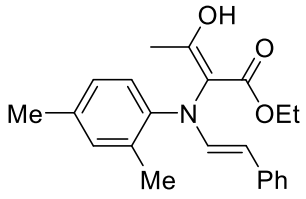
Ethyl (*E*)-2-((2-chlorophenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3ma) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 87% yield (124.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.58 (s, 1H), 7.35 (d, *J* = 8.0 Hz, 1H), 7.25 – 7.14 (m, 5H), 7.13 – 6.96 (m, 4H), 5.50 (d, *J* = 14.0 Hz, 1H), 4.18 (q, *J* = 7.1 Hz, 2H), 2.00 (s, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.32, 171.37, 143.76, 138.26, 135.45, 131.36, 128.59, 127.36, 125.25, 124.80, 124.53, 107.57, 105.30, 61.01, 18.45, 14.12. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}ClNO_3Na$: 380.1029; found: 380.1030.



Ethyl (*E*)-2-((2-bromophenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3na) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 89% yield (142.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.64 (s, 1H), 7.60 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.30 – 7.21 (m, 5H), 7.15 – 7.04 (m, 3H), 7.02 – 6.93 (m, 1H), 5.53 (d, *J* = 14.0 Hz, 1H), 4.23 (qd, *J* = 7.1, 2.1 Hz, 2H), 2.06 (s, 3H), 1.19 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.34, 171.37, 145.14, 138.28, 135.68, 134.78, 128.58, 127.98, 125.29, 125.11, 124.80, 124.50, 116.57, 107.72, 105.44, 61.03, 18.68, 14.16. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}BrNO_3Na$: 424.0524; found: 424.0522.

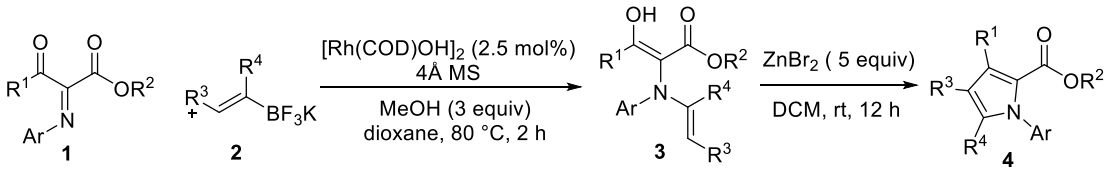


Ethyl (*E*)-2-((3-chlorophenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3oa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 93% yield (132.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 7.38 – 6.99 (m, 7H), 6.99 – 6.61 (m, 3H), 5.67 (d, *J* = 13.7 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 1.90 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.65, 170.92, 147.16, 137.66, 135.23, 130.61, 130.34, 128.67, 125.39, 124.85, 120.98, 115.95, 114.03, 108.01, 105.34, 61.12, 17.66, 14.15. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{20}ClNO_3Na$: 380.1029; found: 380.1025.

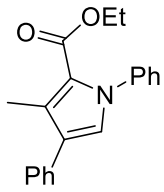


Ethyl (*E*)-2-((2,4-dimethylphenyl)((*E*)-styryl)amino)-3-hydroxybut-2-enoate (3pa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =20/1) in 91% yield (127.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 12.56 (s, 1H), 7.21 (d, *J* = 6.6 Hz, 4H), 7.02 (d, *J* = 11.3 Hz, 2H), 6.97 – 6.81 (m, 3H), 5.35 (d, *J* = 14.0 Hz, 1H), 4.45 – 4.05 (m, 2H), 2.32 (s, 3H), 2.30 (s, 3H), 2.05 (s, 3H), 1.16 (t, *J* = 7.2 Hz, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 175.28, 171.65, 142.56, 138.84, 136.53, 133.84, 132.56, 131.61, 128.56, 127.09, 124.25, 124.07, 123.56, 109.03, 103.22, 60.98, 20.70, 19.25, 18.58, 14.05. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{25}NO_3Na$: 374.1732; found: 374.1724.

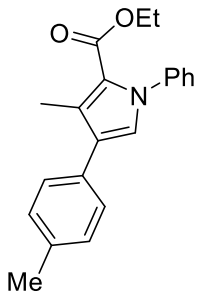
4. Typical procedure for the synthesis of pyrroles:



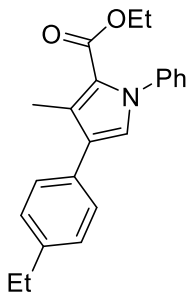
Under argon atmosphere, a mixture of $[Rh(OH)(COD)]_2$ (0.01 mmol, 2.5mol %), ketimine (0.4 mmol), potassium alkenyltrifluoroborates (0.8 mmol, 2 equiv), and 4Å MS was added MeOH (1.2 mmol, 3 equiv) and dioxane (2 mL), The mixture was stirred at 80 °C for 2 hours. Cool the mixture to rt and then $ZnBr_2$ (2 mmol, 5 equiv) was added. The mixture was stirred at rt for 12 h. After the reaction was completed, the mixture was filtered through a thin-layer of celite. The filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel (eluent: EtOAc/petroleum ether = 1/20 to1/10) to afford product as white solid or colorless oil.



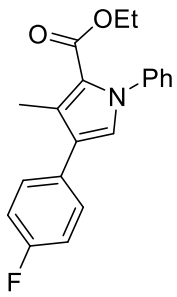
Ethyl 3-methyl-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4aa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 84% yield (102.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.41 (q, *J* = 6.6, 5.0 Hz, 7H), 7.31 (t, *J* = 5.4 Hz, 3H), 6.96 (s, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 2.48 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.45, 141.38, 134.80, 128.62, 128.57, 128.47, 128.00, 127.46, 126.73, 126.42, 126.39, 126.09, 121.69, 59.77, 14.03, 12.11. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{19}NO_2Na$: 328.1313; found: 328.1301.



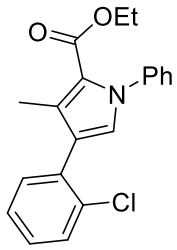
Ethyl 3-methyl-1-phenyl-4-(p-tolyl)-1*H*-pyrrole-2-carboxylate (4ab) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 82% yield (104.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.41 (dt, *J* = 13.6, 6.7 Hz, 3H), 7.32 (d, *J* = 7.7 Hz, 4H), 7.23 (d, *J* = 7.8 Hz, 2H), 6.95 (s, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 2.49 (s, 3H), 2.40 (s, 3H), 1.12 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.49, 141.44, 136.06, 131.82, 129.21, 128.57, 128.53, 128.05, 127.42, 126.66, 126.37, 126.11, 121.59, 59.75, 21.18, 14.05, 12.14. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{21}NO_2Na$: 342.1470; found: 342.1466.



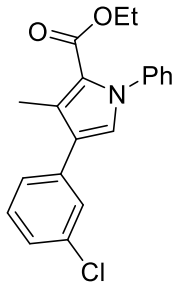
Ethyl 4-(4-ethylphenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ac) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 80% yield (106.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.49 – 7.30 (m, 7H), 7.27 (d, *J* = 7.7 Hz, 2H), 6.96 (s, 1H), 4.17 (q, *J* = 7.1 Hz, 2H), 2.71 (q, *J* = 7.6 Hz, 2H), 2.51 (s, 3H), 1.31 (t, *J* = 7.6 Hz, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.49, 142.42, 141.46, 132.09, 128.59, 128.57, 128.07, 128.00, 127.42, 126.69, 126.41, 126.12, 121.60, 59.75, 28.59, 15.60, 14.07, 12.17. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{23}NO_2Na$: 356.1626; found: 356.1625.



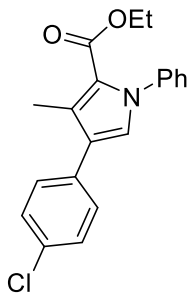
Ethyl 4-(4-fluorophenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ad) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 77% yield (99.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.50 – 7.28 (m, 7H), 7.10 (t, *J* = 8.7 Hz, 2H), 6.93 (s, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 2.47 (s, 3H), 1.11 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.77 (d, *J* = 245.4 Hz), 161.39, 141.31, 130.79 (d, *J* = 3.1 Hz), 130.14 (d, *J* = 7.9 Hz), 128.60, 127.85, 127.53, 126.57, 126.09, 125.48, 121.70, 115.35 (d, *J* = 21.3 Hz), 59.81, 14.03, 12.02. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -116.39. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{18}FNO_2Na$: 346.1219; found: 346.1219.



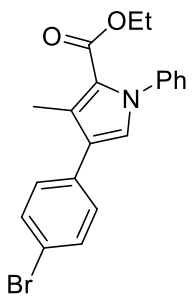
Ethyl 4-(2-chlorophenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ae) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 80% yield (108.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.57 – 7.23 (m, 9H), 6.96 (s, 1H), 4.17 (q, *J* = 7.1 Hz, 2H), 2.33 (s, 3H), 1.14 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.41, 141.26, 134.28, 133.55, 132.43, 129.72, 129.49, 128.55, 128.39, 127.82, 127.50, 126.49, 126.18, 123.47, 120.94, 59.77, 14.10, 12.26. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{18}ClNO_2Na$: 362.0924; found: 362.0920.



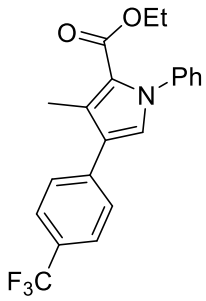
Ethyl 4-(3-chlorophenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4af) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 82% yield (111.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.50 – 7.36 (m, 4H), 7.36 – 7.21 (m, 5H), 6.96 (s, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 2.49 (s, 3H), 1.11 (t, *J* = 7.1 Hz, 3H).**^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.33, 141.22, 136.71, 134.30, 129.71, 128.64, 128.51, 127.81, 127.63, 126.76, 126.69, 126.43, 126.08, 125.10, 121.96, 59.87, 14.04, 12.08. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{18}ClNO_2Na$: 362.0924; found: 362.0923.



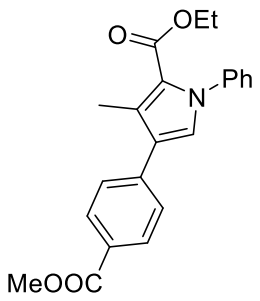
Ethyl 4-(4-chlorophenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ag) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 82% yield (111.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.48 – 7.27 (m, 9H), 6.94 (s, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 2.46 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.33, 141.26, 133.29, 132.30, 129.81, 128.64, 128.61, 127.77, 127.58, 126.58, 126.08, 125.25, 121.91, 59.83, 14.01, 12.03. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{18}ClNO_2Na$: 362.0924; found: 362.0921.



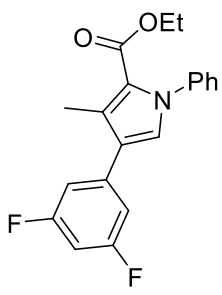
Ethyl 4-(4-bromophenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ah) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 80% yield (122.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.52 (d, *J* = 8.4 Hz, 2H), 7.46 – 7.35 (m, 3H), 7.33 – 7.22 (m, 4H), 6.94 (s, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 2.46 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.32, 141.24, 133.77, 131.60, 130.16, 128.62, 127.73, 127.61, 126.55, 126.08, 125.24, 121.93, 120.38, 59.85, 14.03, 12.06. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{18}BrNO_2Na$: 406.0419; found: 406.0413.



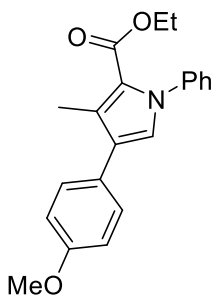
Ethyl 3-methyl-1-phenyl-4-(4-(trifluoromethyl)phenyl)-1*H*-pyrrole-2-carboxylate (4ai) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 79% yield (117.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.67 (d, *J* = 8.1 Hz, 2H), 7.53 (d, *J* = 8.0 Hz, 2H), 7.42 (dd, *J* = 11.0, 7.1 Hz, 3H), 7.32 (d, *J* = 6.8 Hz, 2H), 7.00 (s, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 2.51 (s, 3H), 1.11 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.28, 141.16, 138.60 (d, *J* = 1.5 Hz), 128.64 (d, *J* = 5.5 Hz), 128.37 (d, *J* = 32.3 Hz), 127.77, 127.71, 126.84, 126.08, 125.76, 125.43 (q, *J* = 3.8 Hz), 125.07, 123.06, 122.21, 59.92, 13.99, 12.07. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -62.29. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for: $C_{21}H_{18}F_3NO_2Na$: 396.1187; found: 396.1188.



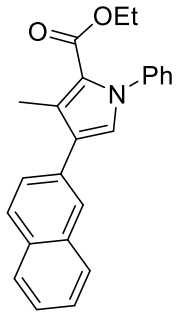
Ethyl 3-methyl-1-phenyl-4-(4-(trifluoromethyl)phenyl)-1*H*-pyrrole-2-carboxylate (4aj) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 79% yield (114.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.07 (d, *J* = 8.3 Hz, 2H), 7.49 (d, *J* = 8.3 Hz, 2H), 7.46 – 7.35 (m, 3H), 7.31 (d, *J* = 6.6 Hz, 2H), 7.02 (s, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 3.93 (s, 3H), 2.50 (s, 3H), 1.09 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 167.08, 161.29, 141.19, 139.71, 129.84, 128.63, 128.18, 127.91, 127.87, 127.66, 126.96, 126.06, 125.37, 122.24, 59.88, 52.06, 13.99, 12.17. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{21}NO_4Na$: 386.1368; found: 386.1357.



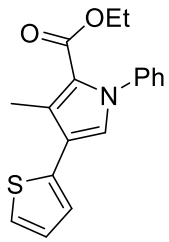
Ethyl 4-(3,5-difluorophenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ak) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 76% yield (103.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.50 – 7.35 (m, 3H), 7.30 (d, *J* = 6.8 Hz, 2H), 6.97 (s, 1H), 6.93 (d, *J* = 6.3 Hz, 2H), 6.73 (t, *J* = 9.0 Hz, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 2.49 (s, 3H), 1.10 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.07 (dd, *J* = 247.4, 13.4 Hz), 161.21, 141.07, 138.15 (t, *J* = 10.3 Hz), 128.66, 127.75, 127.55, 126.72, 126.05, 124.39 (t, *J* = 2.6 Hz), 122.22, 112.05 – 109.10 (m), 101.62 (t, *J* = 25.4 Hz), 59.94, 13.98, 12.01. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -110.33. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{17}F_2NO_2Na$: 364.1125; found: 364.1120.



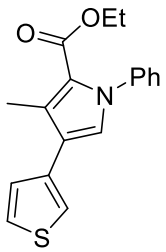
Ethyl 4-(4-methoxyphenyl)-3-methyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ap) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 82% yield (109.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.42 (q, *J* = 7.4 Hz, 3H), 7.36 – 7.28 (m, 4H), 6.96 (d, *J* = 8.5 Hz, 2H), 6.92 (s, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.85 (s, 3H), 2.47 (s, 3H), 1.11 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.47, 158.38, 141.44, 129.75, 128.56, 128.00, 127.40, 127.23, 126.50, 126.10, 121.49, 113.95, 59.73, 55.31, 14.05, 12.10. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{21}NO_3Na$: 358.1419; found: 358.1411.



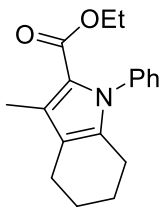
Ethyl 3-methyl-4-(naphthalen-2-yl)-1-phenyl-1*H*-pyrrole-2-carboxylate (4am) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 83% yield (117.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97 – 7.83 (m, 4H), 7.59 (d, *J* = 9.9 Hz, 1H), 7.55 – 7.31 (m, 5H), 7.09 (s, 2H), 4.19 (q, *J* = 7.1 Hz, 2H), 2.60 (s, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.49, 141.42, 133.66, 132.33, 132.14, 128.64, 128.23, 128.03, 127.88, 127.72, 127.54, 127.34, 127.05, 126.88, 126.40, 126.21, 126.15, 125.63, 121.88, 59.84, 14.09, 12.32. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{24}H_{21}NO_2Na$: 378.1470; found: 378.1466.



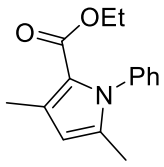
Ethyl ethyl 3-methyl-1-phenyl-4-(thiophen-2-yl)-1*H*-pyrrole-2-carboxylate (4an) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 76% yield (94.7 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.40 (dd, *J* = 10.8, 7.2 Hz, 3H), 7.29 (d, *J* = 6.8 Hz, 2H), 7.24 (d, *J* = 5.1 Hz, 1H), 7.08 (t, *J* = 5.0 Hz, 2H), 7.03 (s, 1H), 4.13 (q, *J* = 7.1 Hz, 2H), 2.55 (s, 3H), 1.09 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.27, 141.17, 136.55, 128.60, 127.98, 127.62, 127.45, 126.74, 126.11, 124.34, 123.68, 121.92, 119.37, 59.84, 13.98, 12.08. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{17}NO_2SNa$: 334.0878; found: 334.0874.



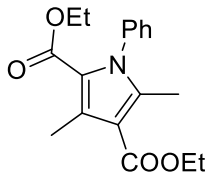
Ethyl 3-methyl-1-phenyl-4-(thiophen-3-yl)-1*H*-pyrrole-2-carboxylate (4ao) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 73% yield (90.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.47 – 7.34 (m, 4H), 7.34 – 7.28 (m, 2H), 7.26 – 7.23 (m, 1H), 7.21 (d, *J* = 5.0 Hz, 1H), 7.00 (s, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 2.54 (s, 3H), 1.11 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.41, 141.36, 135.07, 128.60, 128.00, 127.92, 127.51, 126.60, 126.13, 125.39, 121.68, 121.26, 120.39, 59.80, 14.03, 12.28. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{17}NO_2SNa$: 334.0878; found: 334.0877.



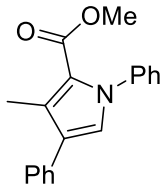
Ethyl 3-methyl-1-phenyl-4,5,6,7-tetrahydro-1*H*-indole-2-carboxylate (4aq) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 63% yield (71.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.37 (dd, *J* = 12.2, 7.3 Hz, 3H), 7.17 (d, *J* = 6.8 Hz, 2H), 4.05 (q, *J* = 7.1 Hz, 2H), 2.47 (t, *J* = 5.8 Hz, 2H), 2.31 (s, 3H), 2.24 (t, *J* = 5.8 Hz, 2H), 1.75 (q, *J* = 7.8 Hz, 4H), 1.04 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.55, 140.10, 136.04, 128.45, 127.93, 127.64, 127.35, 119.76, 118.94, 59.06, 23.26, 23.03, 22.93, 21.21, 14.05, 10.97. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{21}NO_2Na$: 306.1470; found: 306.1461.



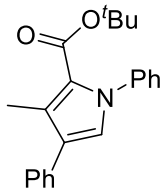
Ethyl 3,5-dimethyl-1-phenyl-1*H*-pyrrole-2-carboxylate (4ar) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 51% yield (49.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.41 (q, *J* = 7.9, 7.2 Hz, 3H), 7.17 (d, *J* = 6.2 Hz, 2H), 5.92 (s, 1H), 4.04 (q, *J* = 7.1 Hz, 2H), 2.38 (s, 3H), 1.97 (s, 3H), 1.05 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.29, 140.32, 136.04, 130.26, 128.58, 127.87, 127.73, 120.70, 111.11, 59.13, 14.03, 13.80, 12.83. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{15}H_{17}NO_2Na$: 266.1157; found: 266.1150.



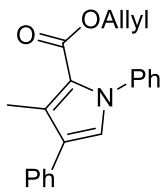
Diethyl 3,5-dimethyl-1-phenyl-1*H*-pyrrole-2,4-dicarboxylate (4as) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 54% yield (68.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.44 (dd, *J* = 5.3, 1.8 Hz, 3H), 7.21 – 6.94 (m, 2H), 4.32 (q, *J* = 7.1 Hz, 2H), 4.02 (q, *J* = 7.1 Hz, 2H), 2.62 (s, 3H), 2.23 (s, 3H), 1.37 (t, *J* = 7.1 Hz, 3H), 0.99 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.62, 161.11, 141.69, 139.43, 131.58, 128.83, 128.33, 127.77, 121.78, 113.34, 59.67, 14.46, 13.82, 12.98, 12.39. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{21}NO_4Na$: 338.1368; found: 338.1363.



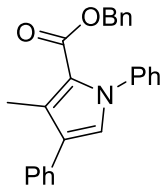
Methyl 3-methyl-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4ba) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 84% yield (97.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.52 – 7.37 (m, 7H), 7.34 (d, *J* = 7.2 Hz, 3H), 6.99 (s, 1H), 3.72 (s, 3H), 2.51 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.96, 141.24, 134.77, 128.67, 128.65, 128.51, 128.07, 127.54, 127.05, 126.51, 126.46, 126.02, 121.46, 50.92, 12.22. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{19}H_{17}NO_2Na$: 314.1157; found: 314.1150.



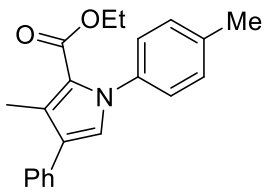
Tert-butyl 3-methyl-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4ca) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 79% yield (105.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.50 – 7.36 (m, 7H), 7.36 – 7.28 (m, 3H), 6.93 (s, 1H), 2.50 (s, 3H), 1.32 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.68, 141.75, 134.95, 128.65, 128.60, 128.47, 127.59, 127.29, 126.42, 126.33, 126.01, 125.92, 122.99, 80.52, 28.05, 11.99. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{23}NO_2Na$: 356.1626; found: 356.1625.



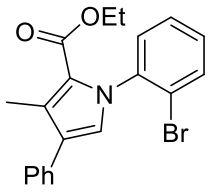
Allyl 3-methyl-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4da) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 67% yield (84.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.48 – 7.35 (m, 7H), 7.31 (t, *J* = 5.8 Hz, 3H), 6.98 (s, 1H), 5.78 (ddt, *J* = 16.3, 10.8, 5.6 Hz, 1H), 5.21 – 5.07 (m, 2H), 4.61 (d, *J* = 5.4 Hz, 2H), 2.50 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.08, 141.29, 134.73, 132.27, 129.42, 128.64, 128.47, 128.35, 127.52, 127.08, 126.53, 126.43, 126.06, 117.69, 114.24, 64.58, 12.22. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{19}NO_2Na$: 340.1313; found: 340.1308.



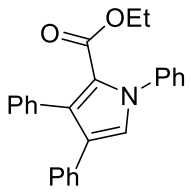
Benzyl 3-methyl-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4ea) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 81% yield (119.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.48 – 7.36 (m, 7H), 7.35 – 7.26 (m, 5H), 7.22 – 7.12 (m, 2H), 6.99 (s, 1H), 5.19 (s, 2H), 2.54 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.21, 141.32, 136.03, 134.72, 128.72, 128.67, 128.64, 128.52, 128.38, 128.13, 127.94, 127.55, 127.17, 126.59, 126.49, 126.09, 121.39, 65.75, 12.32. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{25}H_{21}NO_2Na$: 390.1470; found: 390.1464.



Ethyl 3-methyl-4-phenyl-1-(p-tolyl)-1*H*-pyrrole-2-carboxylate (4ga) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 85% yield (108.7 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.48 – 7.37 (m, 4H), 7.31 (dt, *J* = 5.9, 3.0 Hz, 1H), 7.27 – 7.17 (m, 4H), 6.97 (s, 1H), 4.20 (q, *J* = 7.1 Hz, 2H), 2.52 (s, 3H), 2.44 (s, 3H), 1.18 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.53, 138.88, 137.33, 134.92, 129.19, 128.67, 128.49, 127.67, 126.89, 126.37, 126.27, 125.92, 121.71, 59.78, 21.17, 14.17, 12.22. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{21}H_{21}NO_2Na$: 342.1470; found: 342.1475.

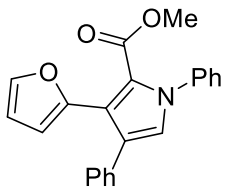


Ethyl 1-(2-bromophenyl)-3-methyl-4-phenyl-1*H*-pyrrole-2-carboxylate (4na) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 76% yield (116.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.67 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.34 (m, 6H), 7.34 – 7.22 (m, 2H), 6.86 (s, 1H), 4.12 (p, *J* = 7.2 Hz, 2H), 2.53 (s, 3H), 1.08 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.13, 141.11, 134.72, 132.77, 129.39, 129.03, 128.66, 128.46, 127.68, 127.52, 126.71, 126.43, 126.01, 122.38, 121.99, 59.71, 13.98, 12.17. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{20}H_{18}NO_2BrNa$: 406.0419; found: 406.0415.

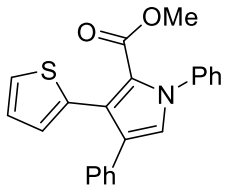


Ethyl 1,3,4-triphenyl-1*H*-pyrrole-2-carboxylate (4qa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 88% yield (129.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.55 – 7.43 (m, 5H), 7.39 (q, *J* = 7.2, 6.7 Hz, 5H), 7.22 (q, *J* = 7.5, 6.5 Hz, 5H), 7.17 (s, 1H), 4.01 (q, *J* = 7.1 Hz, 2H), 0.89 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.09, 141.00, 135.15, 134.27, 131.81, 130.90, 128.86, 128.30, 128.28, 127.81, 127.65, 126.92, 126.38, 126.21, 126.04, 125.56, 121.95, 60.03, 13.56. **HRMS** (ESI)

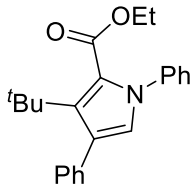
m/z. $[M+Na]^+$ calcd for $C_{25}H_{21}NO_2Na$: 390.1470; found: 390.1467.



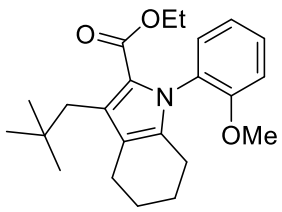
Methyl 3-(furan-2-yl)-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4ra) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 83% yield (113.7 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.54 – 7.45 (m, 4H), 7.42 (d, *J* = 6.6 Hz, 2H), 7.32 (d, *J* = 7.3 Hz, 2H), 7.29 – 7.20 (m, 3H), 7.12 (s, 1H), 6.63 – 6.37 (m, 2H), 3.62 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.08, 147.36, 141.91, 140.53, 134.02, 128.91, 128.31, 128.02, 127.91, 126.60, 126.41, 126.39, 125.82, 122.78, 120.25, 110.91, 110.32, 51.41. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{17}NO_3Na$: 366.1106; found: 366.1106.



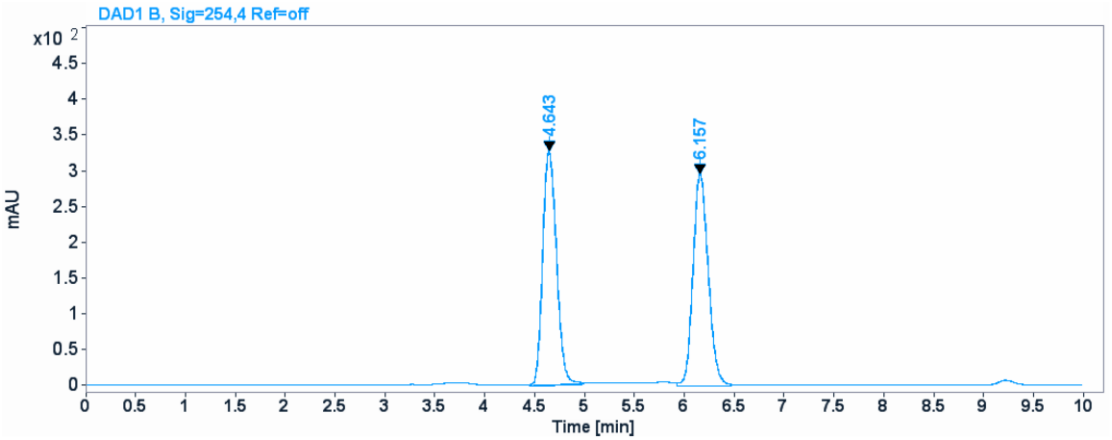
Methyl 1,4-diphenyl-3-(thiophen-2-yl)-1*H*-pyrrole-2-carboxylate (4sa) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 83% yield (119.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.58 – 7.39 (m, 5H), 7.36 (d, *J* = 5.0 Hz, 1H), 7.27 (d, *J* = 6.2 Hz, 5H), 7.13 (s, 1H), 7.05 (dd, *J* = 8.6, 3.9 Hz, 2H), 3.57 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.28, 140.70, 135.36, 133.93, 128.95, 128.55, 128.35, 128.29, 127.97, 126.74, 126.62, 126.56, 126.43, 125.98, 125.80, 123.51, 122.63, 51.25. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{22}H_{17}NO_2SNa$: 382.0878; found: 382.0872.



Ethyl 3-(tert-butyl)-1,4-diphenyl-1*H*-pyrrole-2-carboxylate (4ta) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 85% yield (118.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.45 – 7.27 (m, 10H), 6.65 (s, 1H), 4.07 (q, *J* = 7.1 Hz, 2H), 1.31 (s, 9H), 1.01 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.02, 140.80, 138.45, 135.20, 131.01, 128.99, 127.49, 127.10, 126.60, 125.93, 124.79, 124.29, 122.52, 60.95, 33.30, 32.44, 13.59. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{23}H_{25}NO_2Na$: 370.1783; found: 370.1780.



Ethyl 1-(2-methoxyphenyl)-3-neopentyl-4,5,6,7-tetrahydro-1*H*-indole-2-carboxylate (4uq) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =10/1) in 48% yield (70.6 mg). The ee was determined by HPLC analysis on a Daicel Chiralpak AD column: hexane/i-PrOH 98:2, flow rate 1.0 mL/min, λ = 254 nm: τ_1 = 4.643 min., τ_2 = 6.157 min. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.33 (t, *J* = 7.8 Hz, 1H), 7.13 (d, *J* = 6.2 Hz, 1H), 6.98 (t, *J* = 7.1 Hz, 2H), 4.00 (q, *J* = 6.4, 5.8 Hz, 2H), 3.74 (s, 3H), 2.93 – 2.63 (m, 2H), 2.49 (s, 2H), 2.37 – 2.11 (m, 2H), 1.73 (m, 4H), 1.03 (t, *J* = 7.1 Hz, 3H), 0.97 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.77, 155.36, 135.35, 129.56, 129.34, 129.08, 128.70, 121.09, 120.29, 119.55, 111.86, 58.81, 55.82, 37.92, 33.80, 29.95, 23.73, 23.02, 22.83, 22.61, 13.96. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{23}H_{31}NO_3Na$: 392.2202; found: 392.2200.



Signal: DAD1 B, Sig=254,4 Ref=off

RT [min]	Width [min]	Area [mAU*S]	Height [mAU]	Area%
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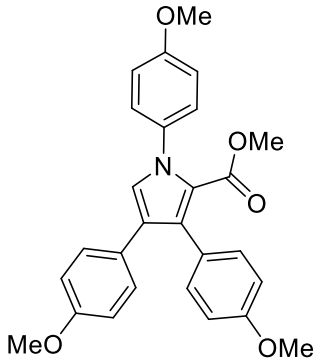
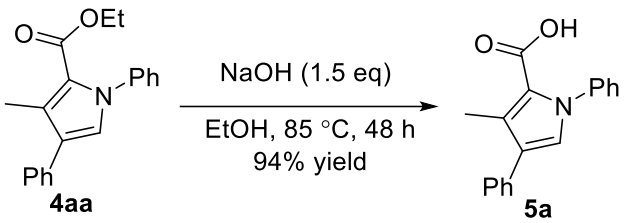
6.157	0.177	3138.364	295.697	50.0057
	Sum	6276.0159		

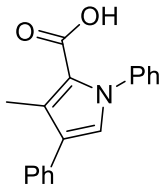


Methyl 1,3,4-tris(4-methoxyphenyl)-1H-pyrrole-2-carboxylate (4vp) : Colorless oil was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =4/1) in 46% yield (81.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.31 (d, *J* = 8.8 Hz, 2H), 7.21 (d, *J* = 8.5 Hz, 2H), 7.04 (d, *J* = 8.6 Hz, 2H), 7.00 (s, 1H), 6.96 (d, *J* = 8.7 Hz, 2H), 6.86 (d, *J* = 8.5 Hz, 2H), 6.75 (d, *J* = 8.5 Hz, 2H), 3.86 (s, 3H), 3.83 (s, 3H), 3.76 (s, 3H), 3.47 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.69, 158.94, 158.45, 158.03, 134.06, 131.83, 131.01, 129.36, 127.30, 127.05, 126.82, 126.52, 124.98, 121.32, 113.87, 113.67, 113.05, 55.50, 55.16, 55.14, 50.89. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{27}H_{25}NO_5Na$: 466.1630; found: 466.1623.

5. Typical procedures for the synthesis of 5a

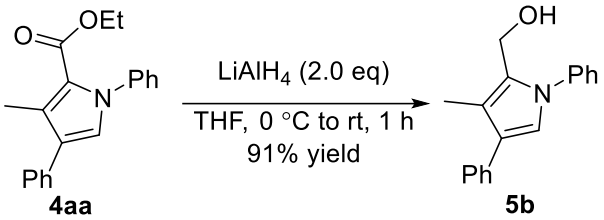


A solution of pyrrole **4aa** (153 mg, 0.5 mmol) in EtOH (5 mL) was added sodium hydroxide in ethanol (0.5 mmol/mL, 1.5 mL) at 85 ˚C for 48 h. When TLC monitoring on silica gel indicated complete consumption of pyrrole **4aa**, the mixture was cooled to room temperature and evaporated all the volatiles under reduced pressure. The residue was extracted with dichloromethane and water, and adjusted the water phase to acidic. The organic phase was collected, dried over anhydrous sodium sulfate, filtered, and evaporated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: petroleum/ethyl acetate = 2:1, v/v), affording **5aa** (130.0 mg, 94% yield) as a white solid.

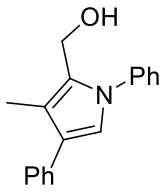


3-methyl-1,4-diphenyl-1*H*-pyrrole-2-carboxylic acid (5a) : white solid. was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =2/1) in 94% yield (130.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.47 – 7.36 (m, 7H), 7.36 – 7.27 (m, 3H), 7.00 (s, 1H), 2.49 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.03, 140.97, 134.50, 130.56, 130.11, 128.68, 128.50, 128.40, 127.54, 126.78, 126.54, 125.97, 120.48, 12.40. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{15}NO_2Na$: 300.1000; found: 300.0989.

6. Typical procedures for the synthesis of 5b

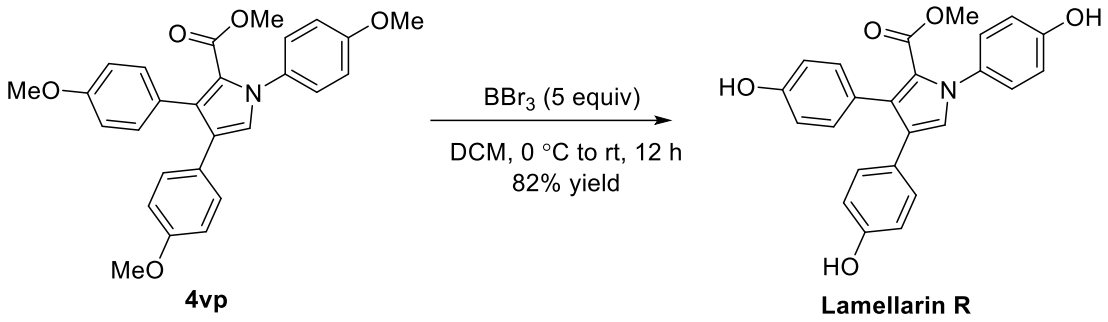


Lithium aluminium hydride (38 mg, 1 mmol) was stirred in dry THF at 0 ˚C. Then pyrrole **4aa** (153 mg, 0.5 mmol) was dissolved in THF and added drop wise to the solution of lithium aluminium hydride at 0 ˚C. Then, the mixture was slowly warmed to room temperature till the completion (1 h) of reaction as monitored by TLC. It was then cooled to 0 ˚C, and 10 mL of water was added successively. Then reaction mixture was allowed to stir for 0.5 h, filtered through celite and washed with ethyl acetate, dried over anhydrous sodium sulfate, concentrated and purified by silica gel column chromatography (eluent: petroleum/ethyl acetate = 4:1, v/v), affording **5b** (120.0 mg, 91% yield) as a white solid.

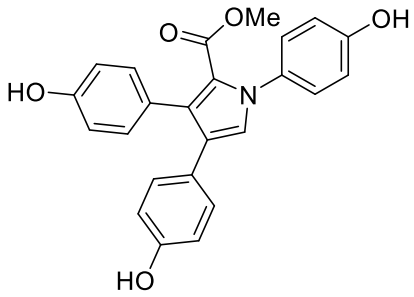


(3-methyl-1,4-diphenyl-1*H*-pyrrol-2-yl)methanol (5b) : white solid. was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =4/1) in 91% yield (120.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.57 – 7.43 (m, 6H), 7.43 – 7.34 (m, 3H), 7.28 (m, 1H), 6.99 (s, 1H), 4.59 (s, 2H), 2.33 (s, 3H), 1.58 (s, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 139.79, 135.90, 129.61, 129.34, 128.47, 128.00, 127.15, 125.87, 125.49, 125.39, 120.34, 117.93, 54.15, 10.49. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{18}H_{17}NONa$: 286.1208; found: 286.1201.

7. Typical procedures for the synthesis of Lamellarin R

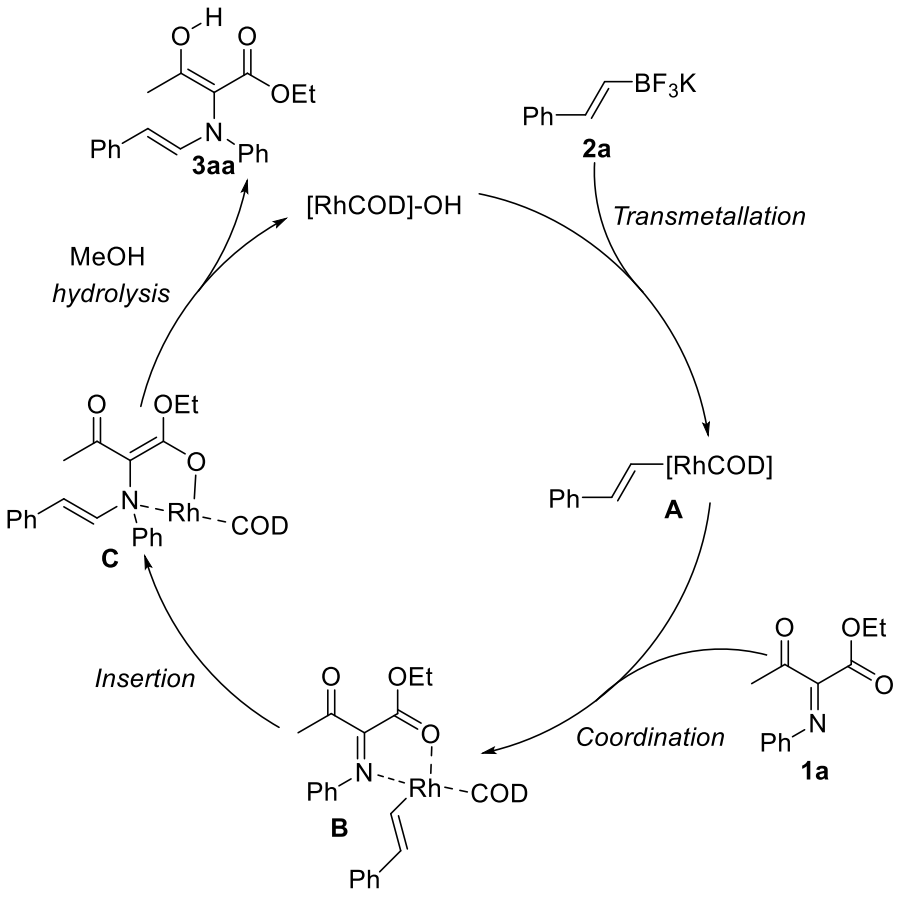


To a magnetically stirred solution of **4vp** (88 mg, 0.2 mmol) in DCM (4 mL) was added BBr_3 (1 mL, 1 M in DCM, 1 mmol) at 0 °C, and the resulting mixture was stirred at rt for 12 hours. The reaction was quenched with the addition of MeOH (2 mL), and the solvent were removed under vacuum. The residue was dissolved in water (10 mL) and extracted with EtOAc (10 mL × 4). The combined organic phase was dried (Na_2SO_4) and evaporated, purified by silica gel column chromatography (eluent: petroleum/ethyl acetate = 1:1, v/v), affording **Lamellarin R** (65.7 mg, 82% yield) as colorless oil.



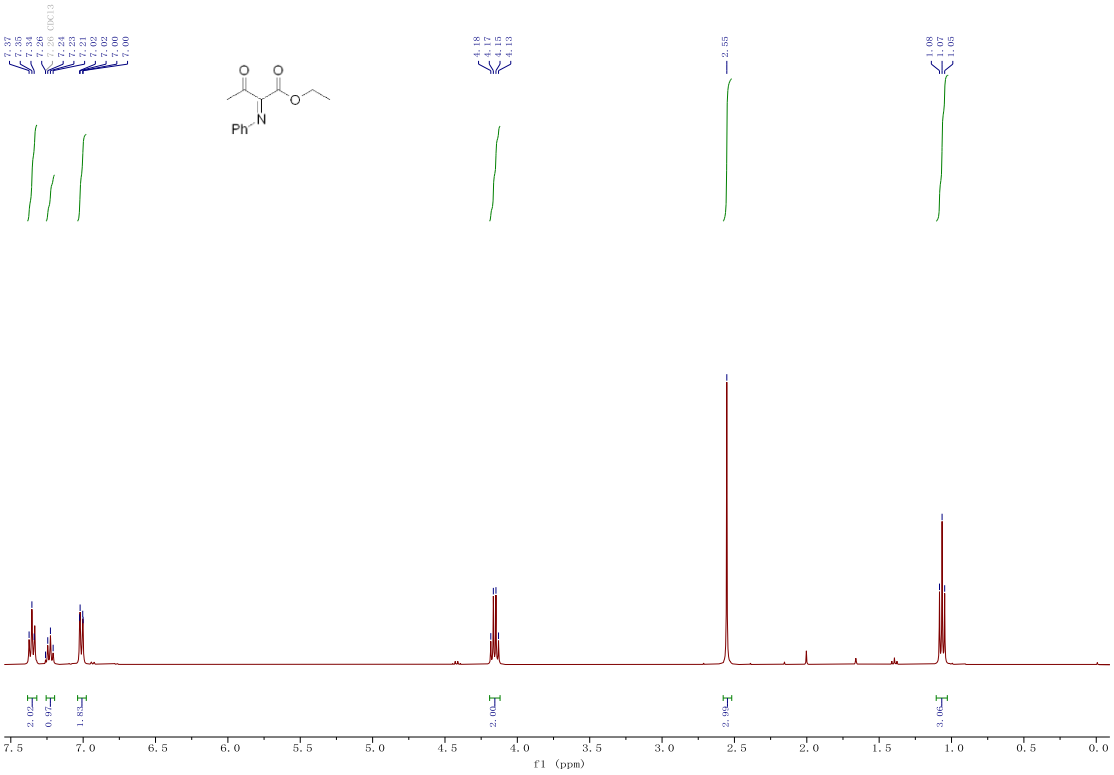
Methyl 1,3,4-tris(4-hydroxyphenyl)-1*H*-pyrrole-2-carboxylate (Lamellarin R) : colorless oil. was isolated as single diasteroisomer by flash column chromatography (petroleum/ethyl acetate =1/1) in 82% yield (65.7 mg). **^{1}H NMR** (400 MHz, Acetone-d_6) δ 8.64 (s, 1H), 8.30 (s, 1H), 8.21 (s, 1H), 7.23 (d, J = 8.7 Hz, 2H), 7.11 (s, 1H), 7.07 (d, J = 8.5 Hz, 2H), 6.99 (d, J = 8.6 Hz, 2H), 6.92 (d, J = 8.7 Hz, 2H), 6.78 (d, J = 8.5 Hz, 2H), 6.67 (d, J = 8.6 Hz, 2H), 3.40 (s, 3H). **^{13}C NMR** (101 MHz, Acetone) δ 161.25, 156.73, 156.24, 155.81, 133.14, 131.80, 130.35, 129.33, 126.64, 126.25, 125.92, 125.75, 124.91, 121.50, 115.20, 114.93, 114.38, 50.00. **HRMS** (ESI) m/z. $[M+Na]^+$ calcd for $C_{24}H_{19}NO_5Na$: 424.1161; found: 424.1153.

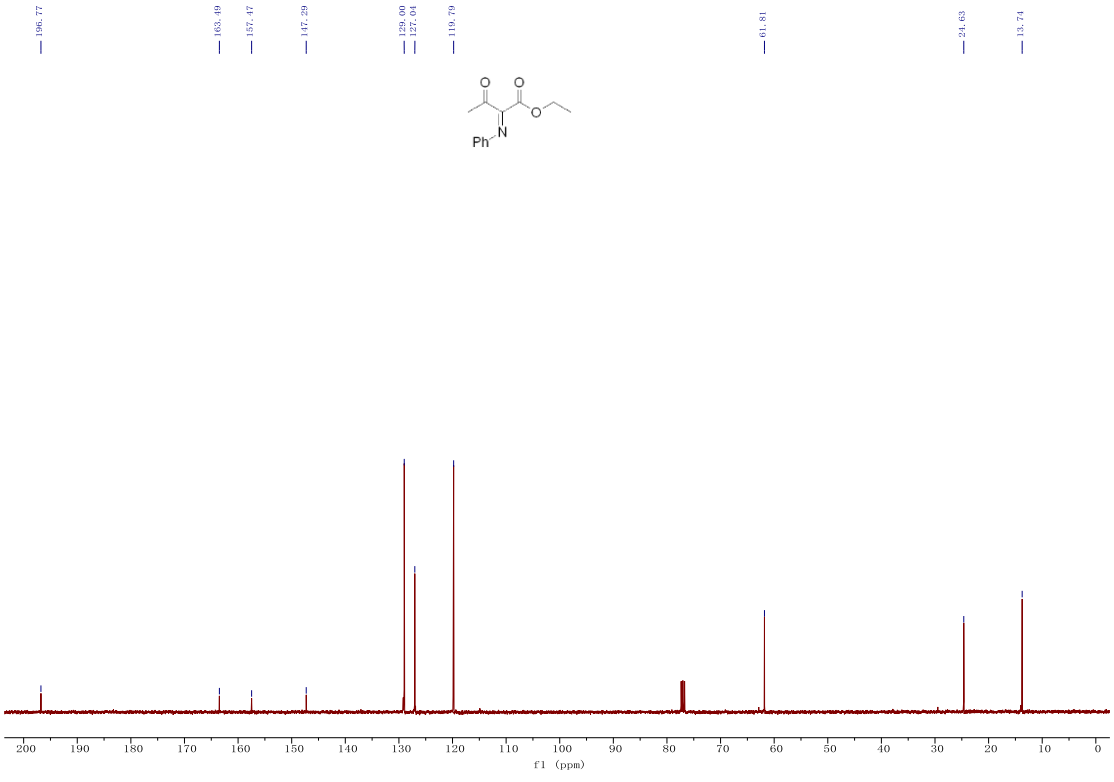
8. Proposed mechanism for the reaction



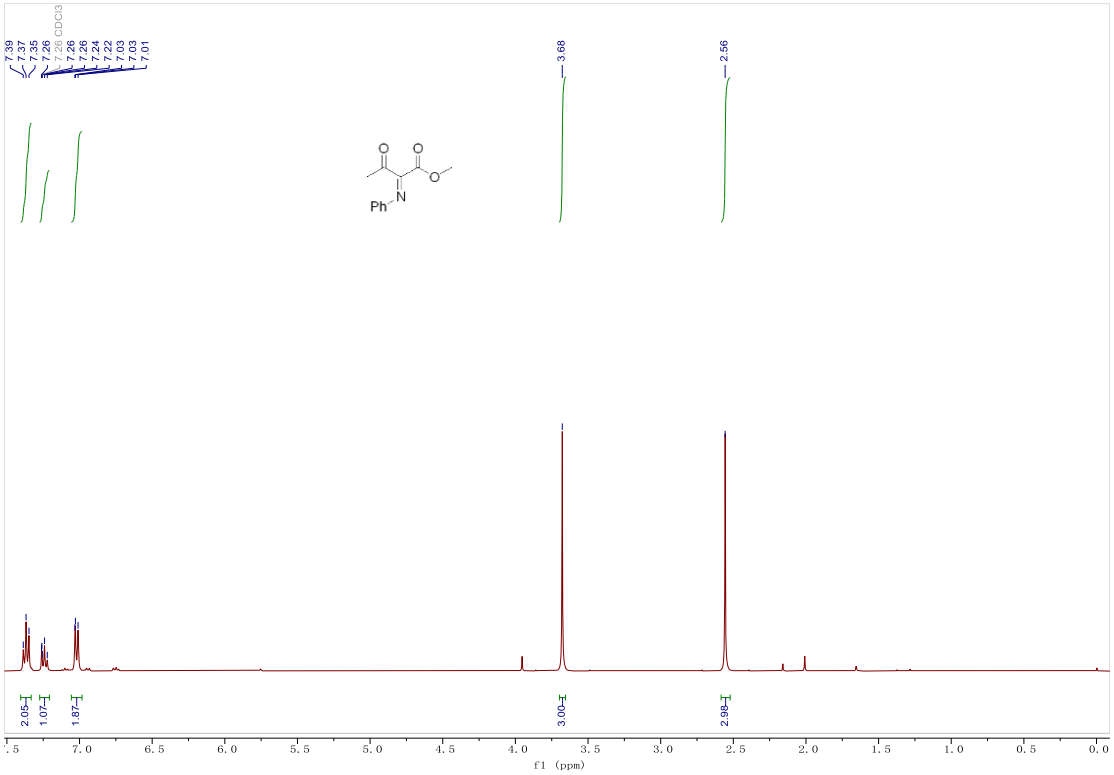
9. NMR spectra

1a





1b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7.37
7.35
7.26
7.26 CDCl3
7.26
7.24
7.22
7.03
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7.01
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2.56
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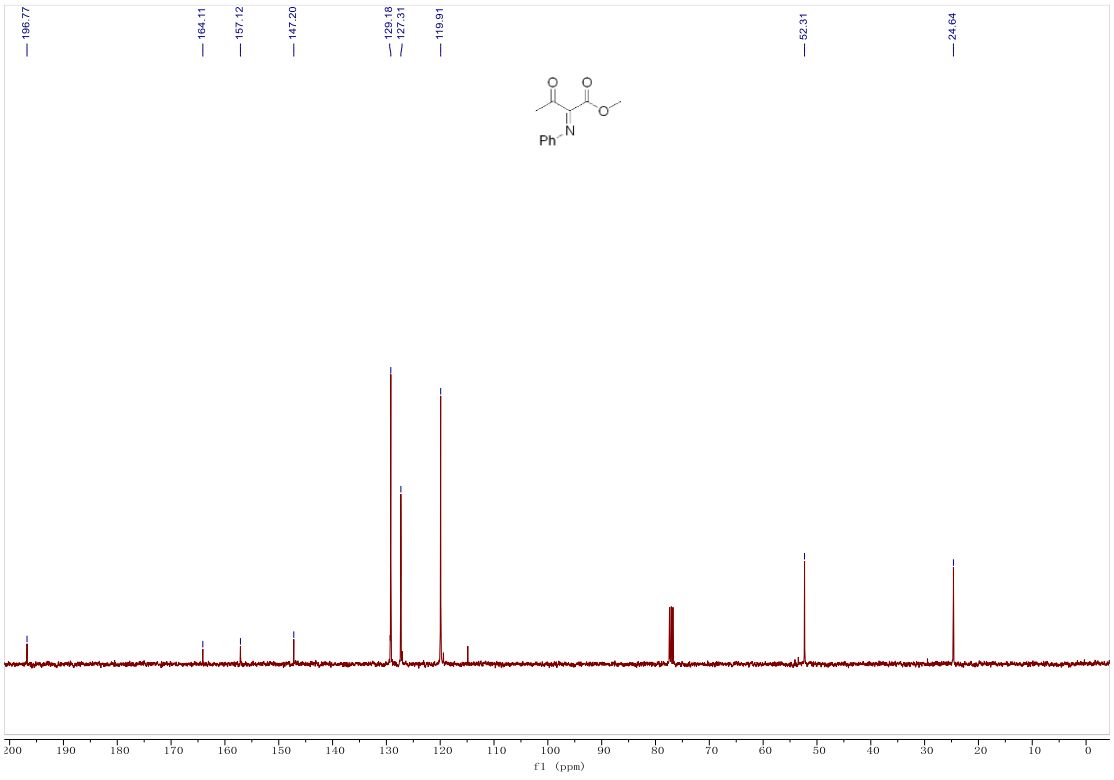
1.07
1.87
3.00
2.98
f1 (ppm)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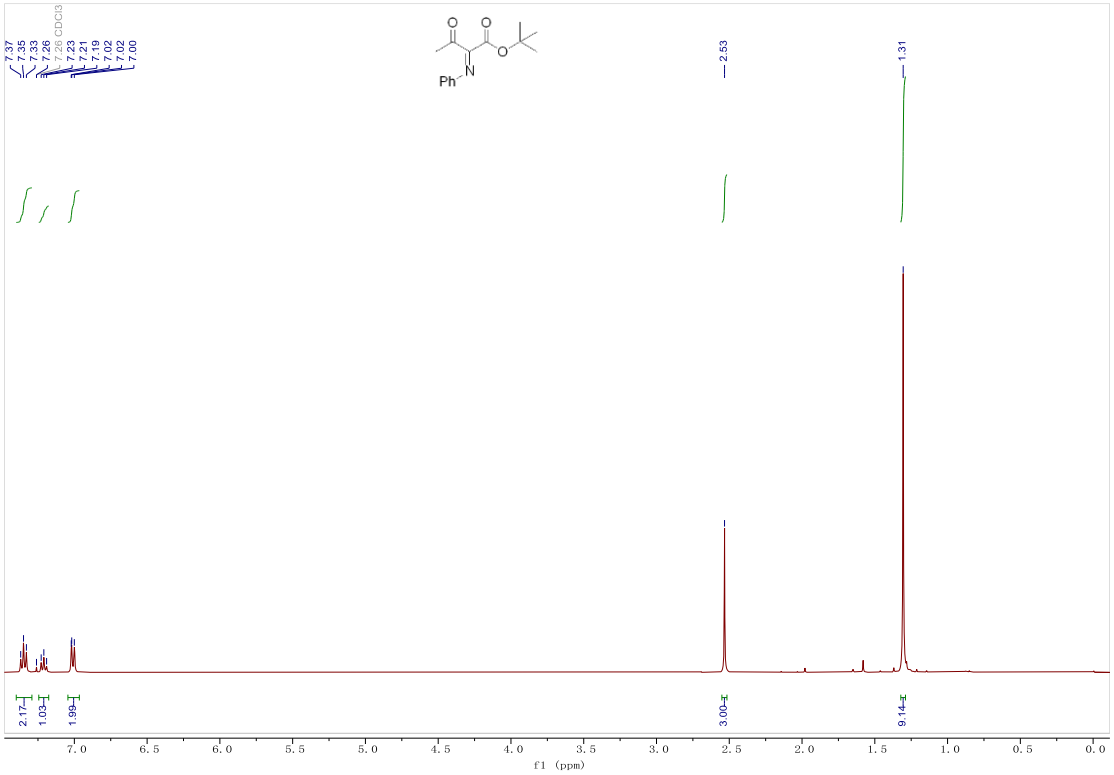
157.12
147.20
129.18
127.31
119.91
52.31
24.64
f1 (ppm)

1c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7.35
7.33
7.26
CDCl3
7.23
7.21
7.19
7.02
7.02
7.00
2.53
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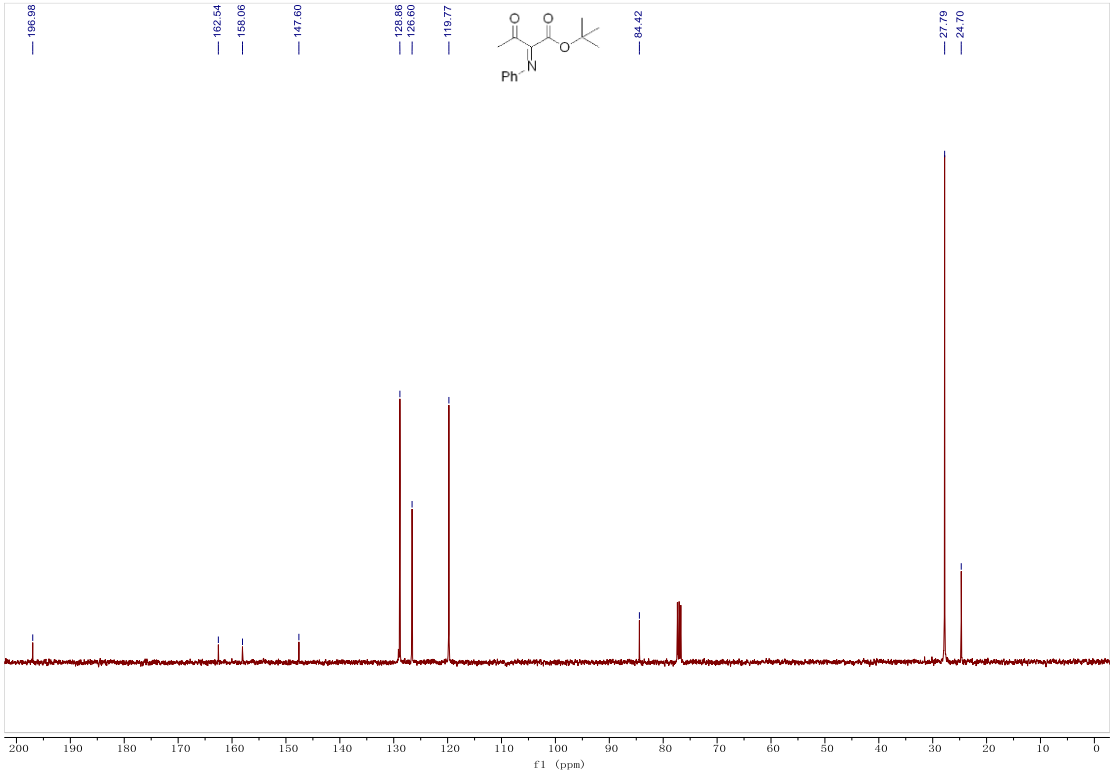
2.17
1.03
1.99
3.00
9.14
f1 (ppm)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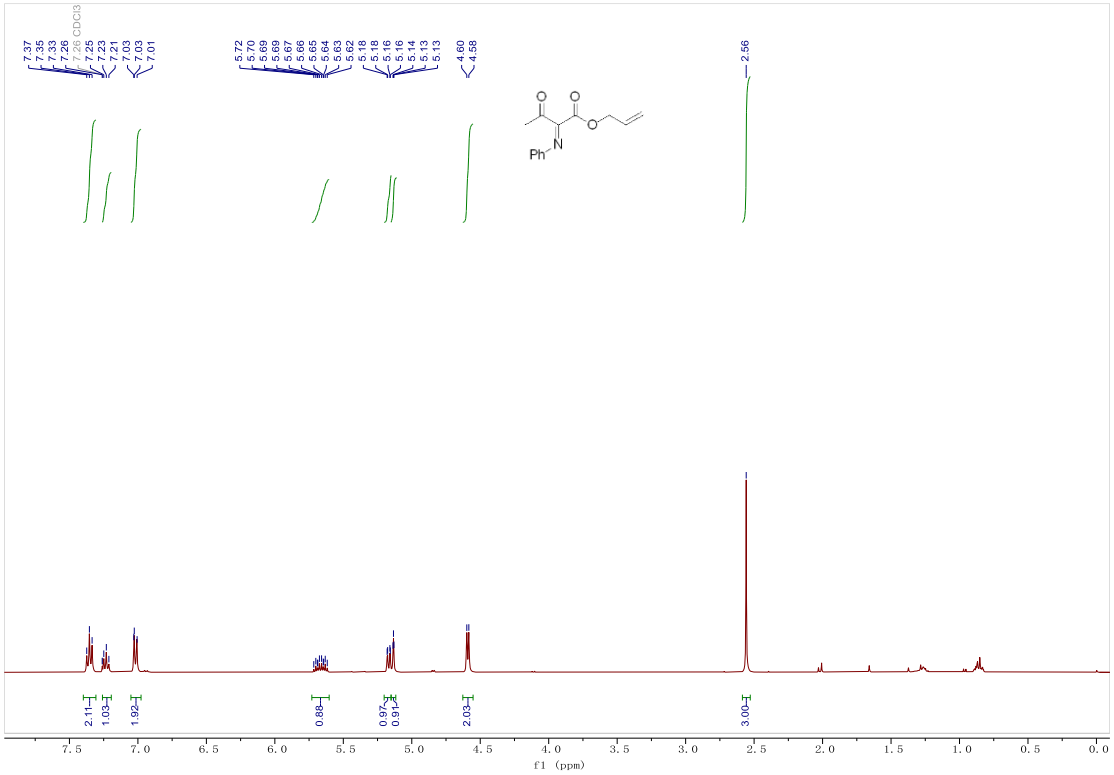
f1 (ppm)

1d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7.33
7.26
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7.21
7.03
7.03
7.01
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5.69
5.69
5.67
5.66
5.65
5.64
5.63
5.62
5.18
5.18
5.16
5.16
5.14
5.13
5.13
4.60
4.58
2.56
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O
O
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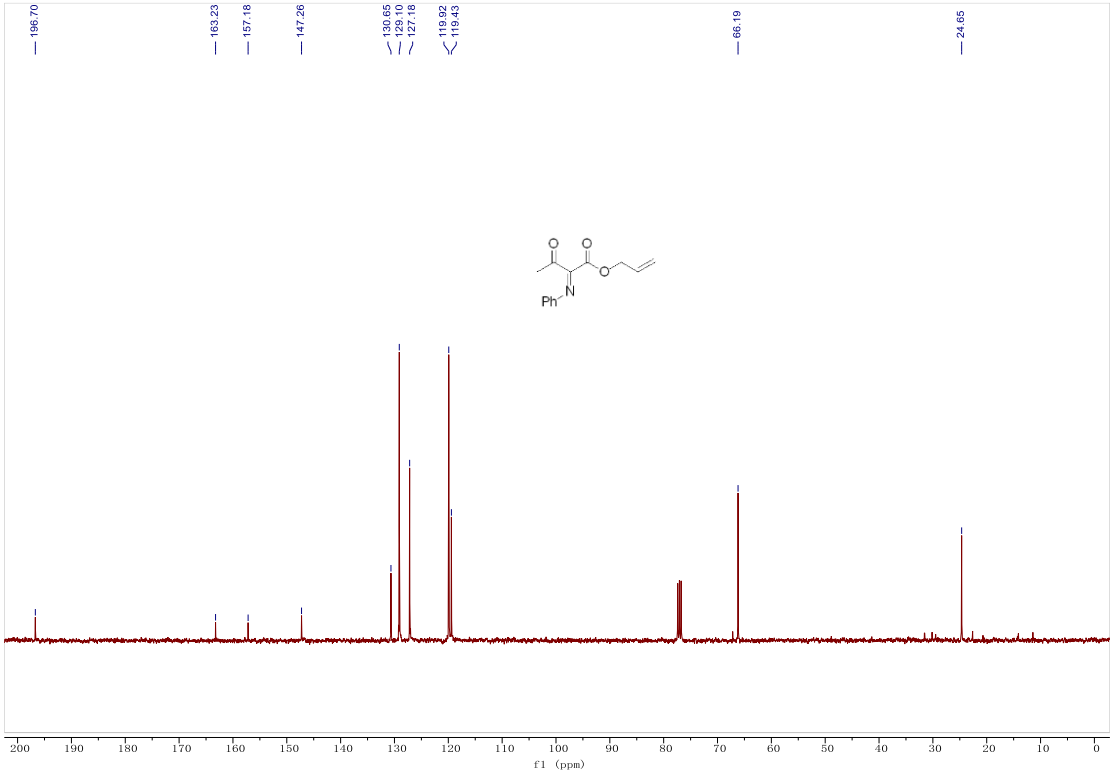
0.97
0.91
2.03
3.00
f1 (ppm)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147.26
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129.10
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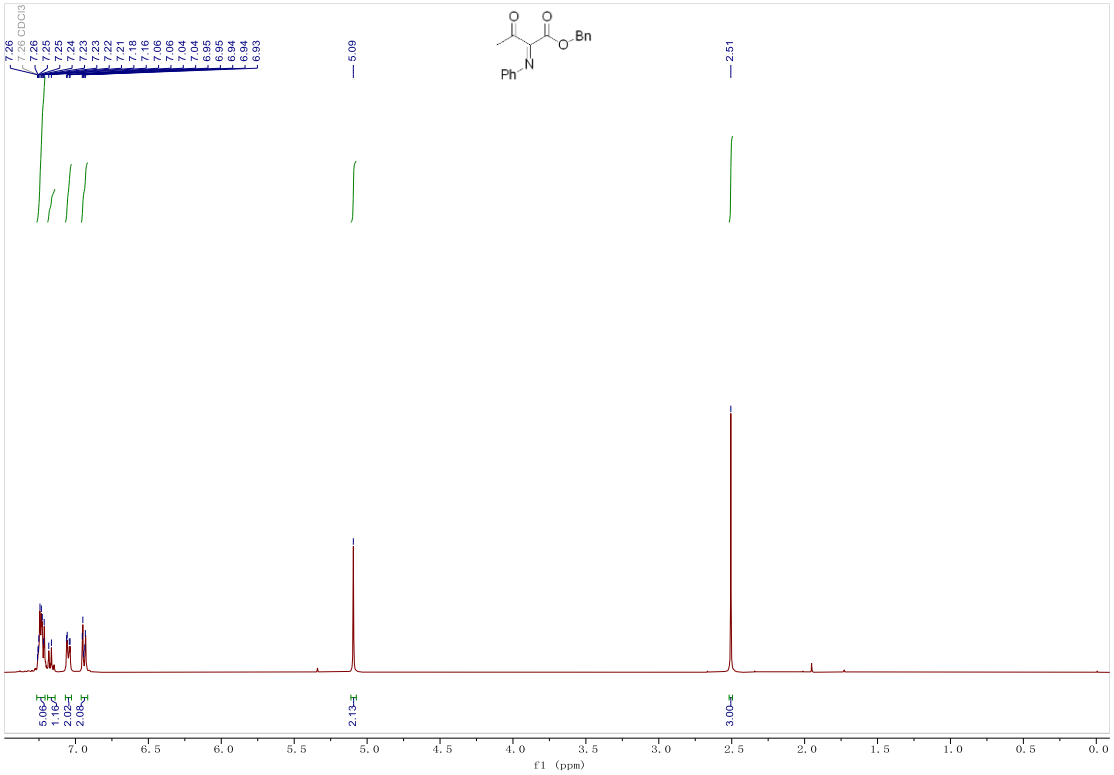
66.19
24.65
Ph
N
O
O
O
f1 (ppm)

1e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7.06
7.04
7.04
6.95
6.95
6.94
6.94
6.93
5.09
2.51
Bn
Ph
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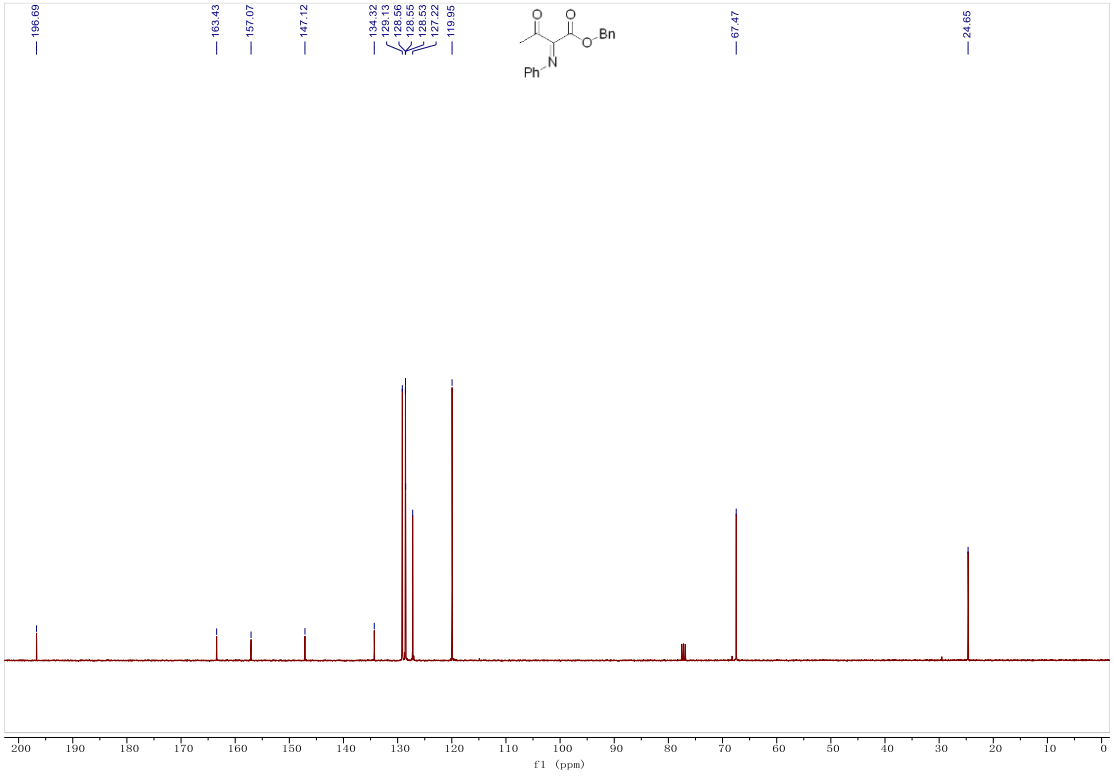
O
O
O
5.06
1.16
2.02
2.08
2.13
3.00
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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128.55
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127.22
119.95
67.47
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200
190
180
170
160
150
140
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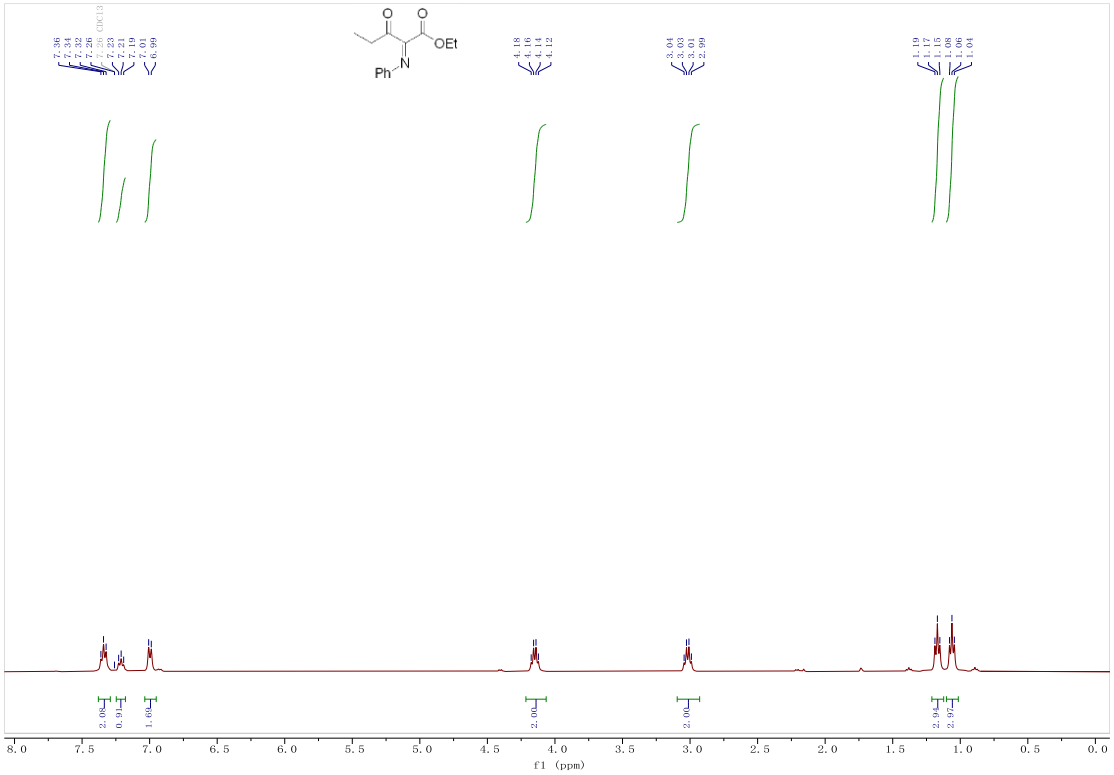
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1f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7.19
7.01
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CDCl3
4.18
4.16
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4.12
3.04
3.03
3.01
2.99
1.19
1.17
1.15
1.08
1.06
1.04
O
O
OEt
Ph
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0.91
1.60
2.00
2.00
2.94
2.97
8.0
7.5
7.0
6.5
6.0
5.5
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3.0
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2.0
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1.0
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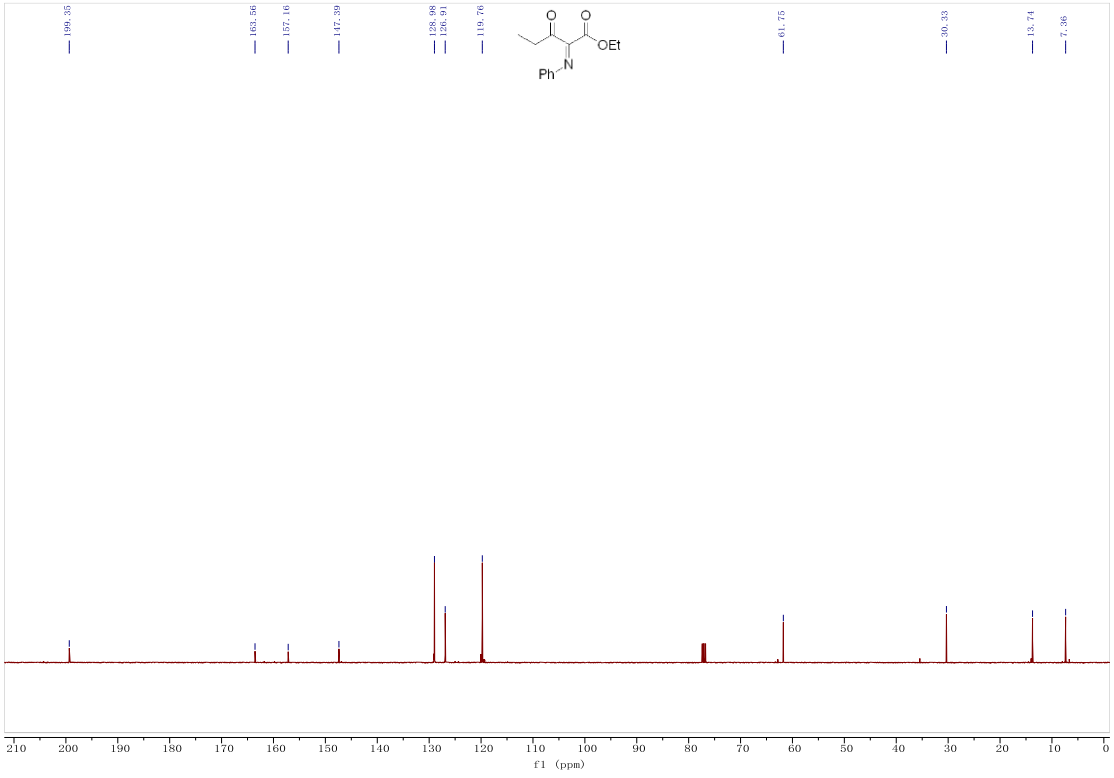
0.0
f1 (ppm)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O
OEt
Ph
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190
180
170
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110
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40
30
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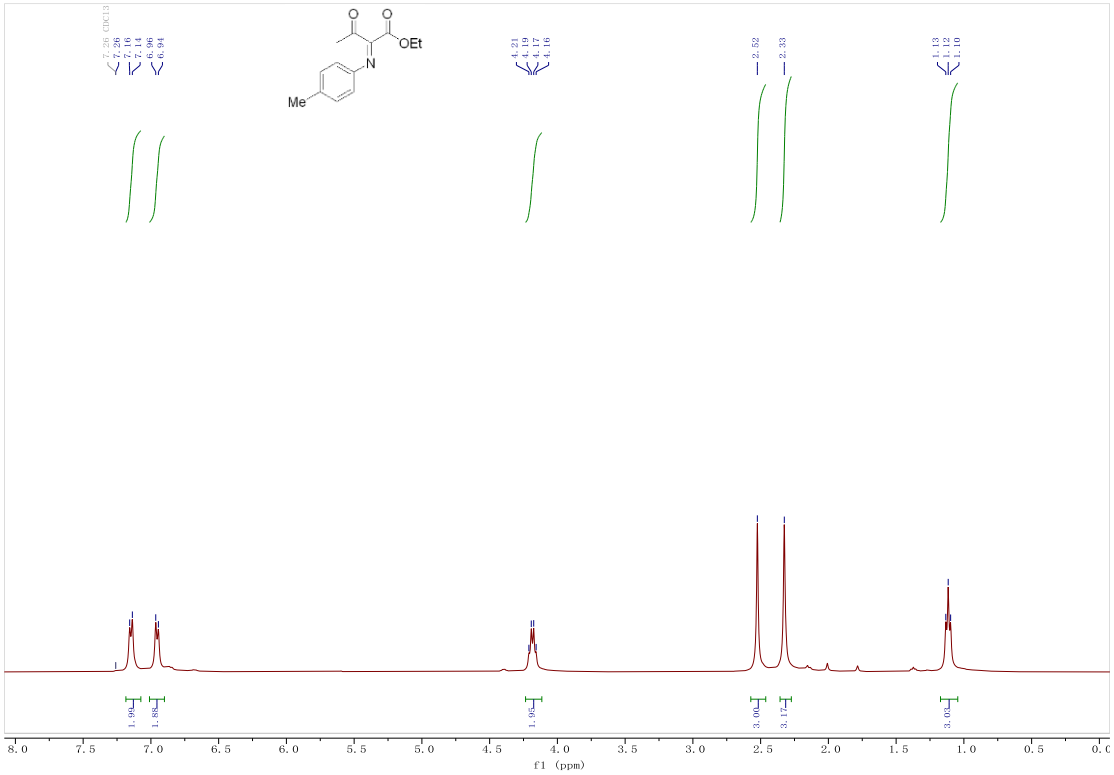
0
f1 (ppm)

1g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6.94
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2.52
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1.12
1.10
O
O
OEt
N
Me
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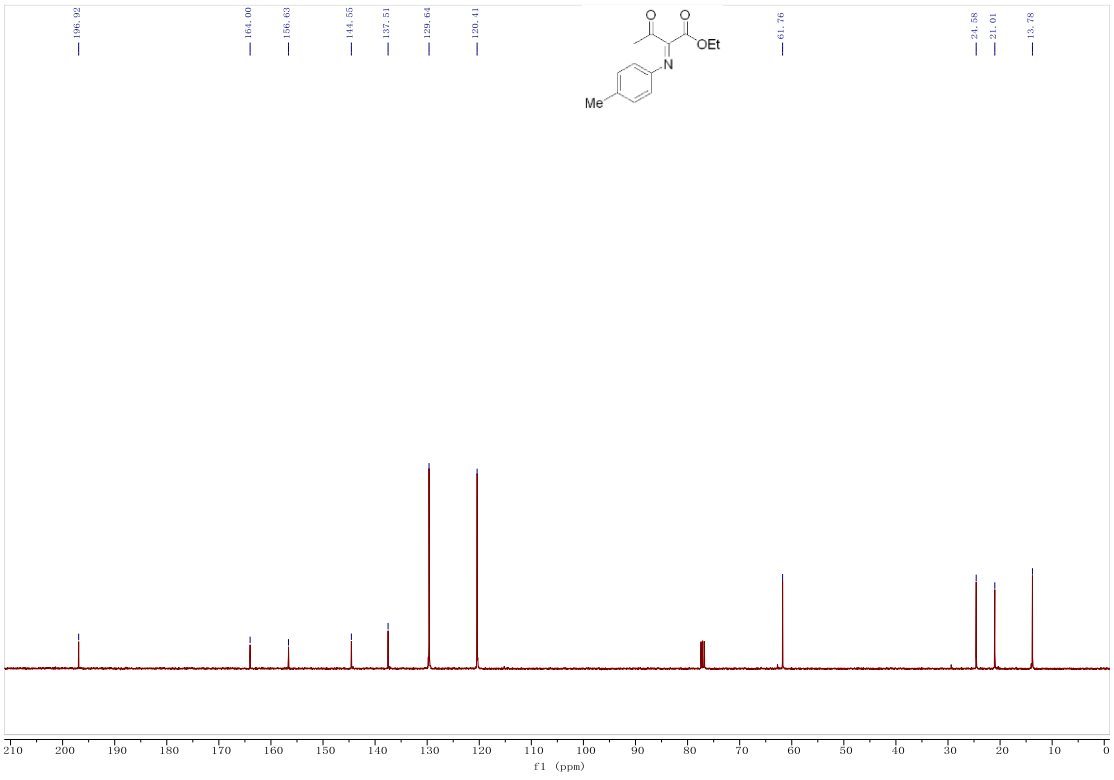
1.88
1.96
3.00
3.17
3.05
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
f1 (ppm)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120.41
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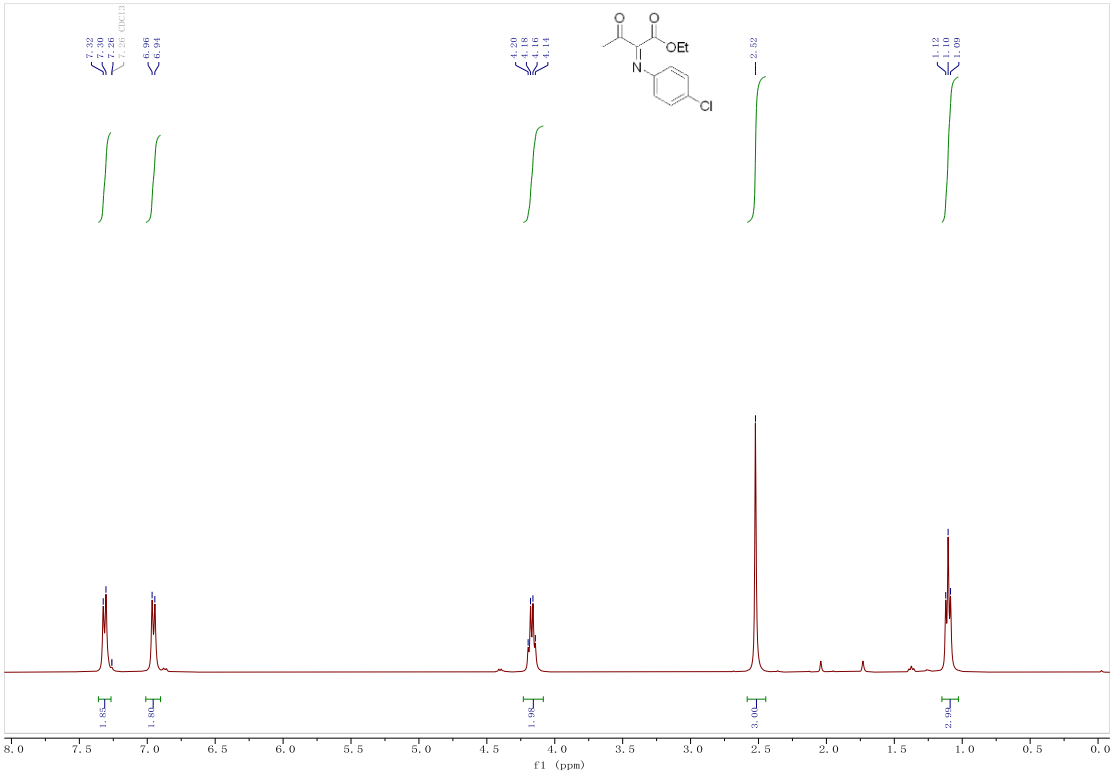
O
OEt
N
Me
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1h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4.14
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1.09
OEt
N
Cl
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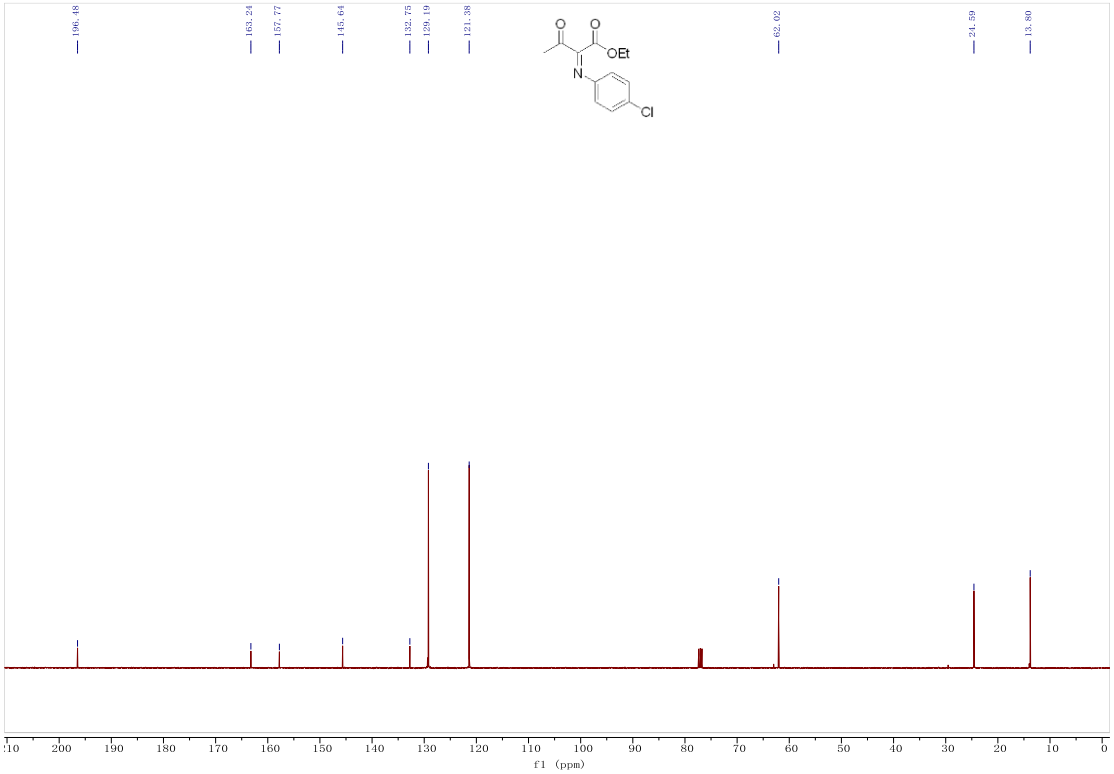
1.80
1.98
3.00
2.96
f1 (ppm)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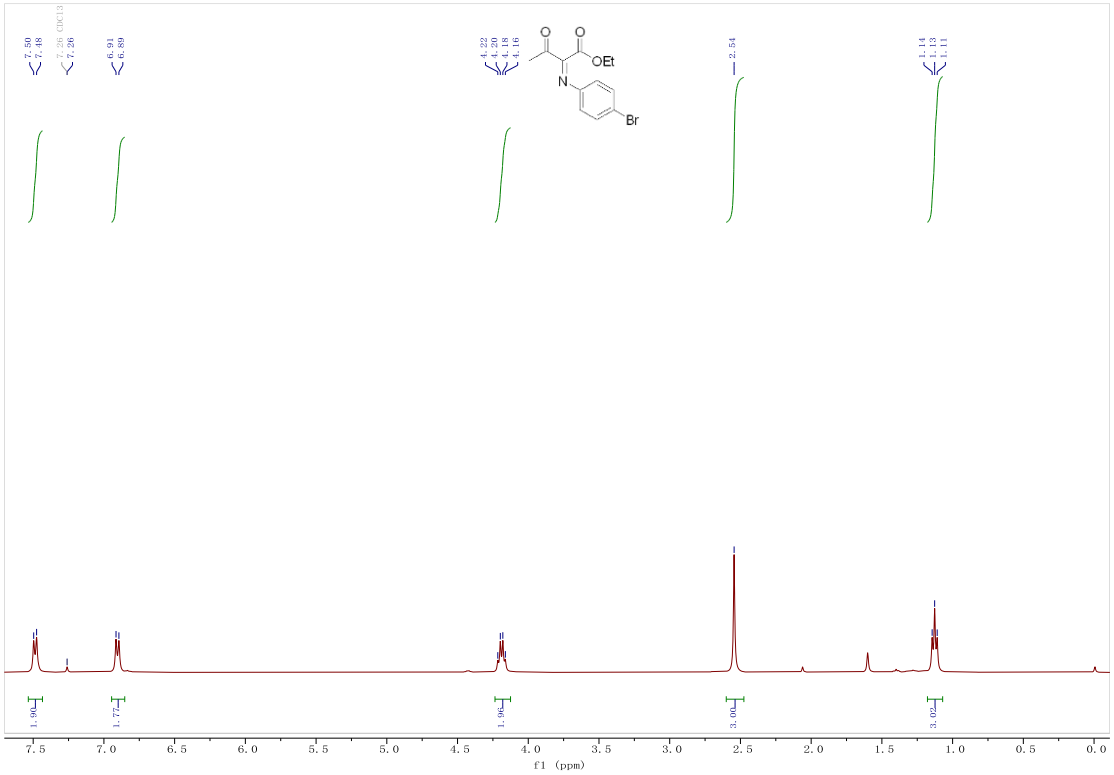
13.80
OEt
N
Cl
f1 (ppm)

1i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6.91
6.89
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4.20
4.18
4.16
2.54
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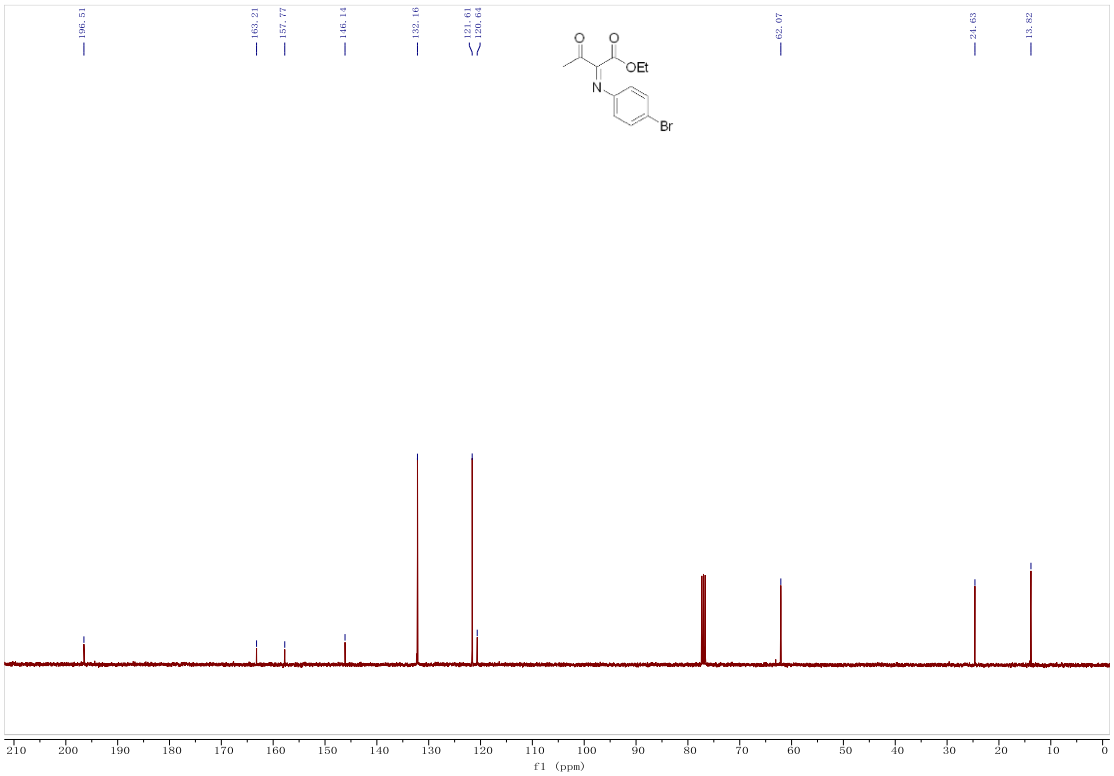
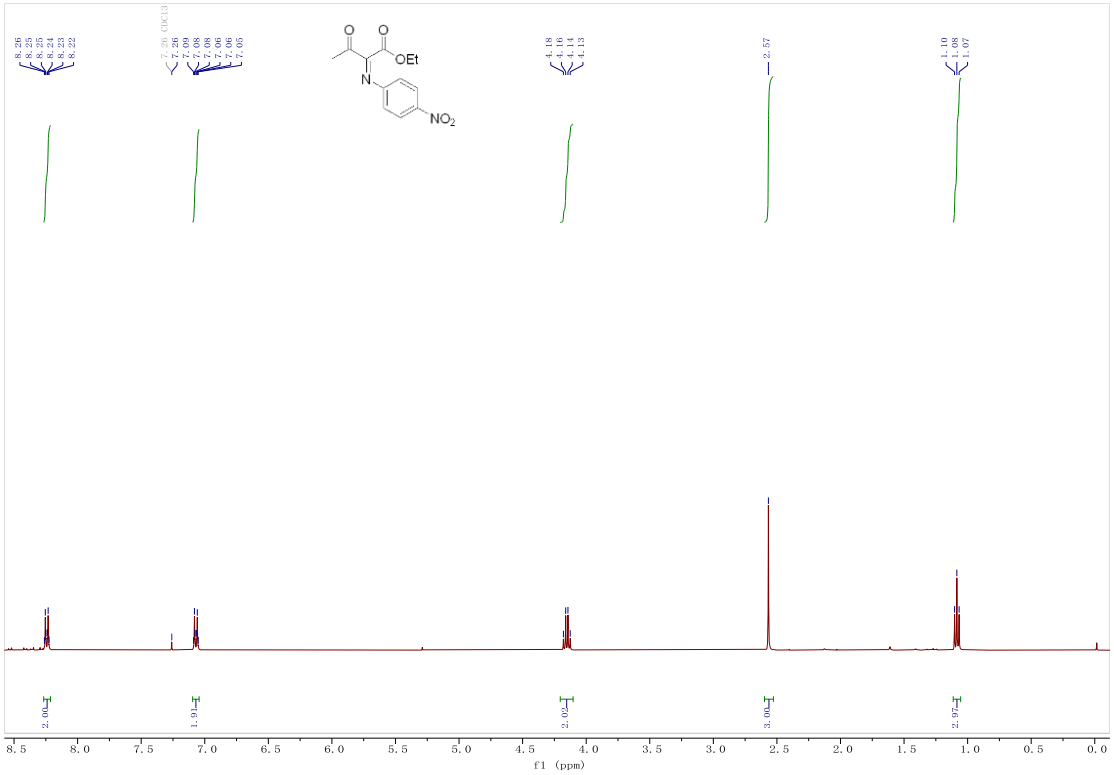
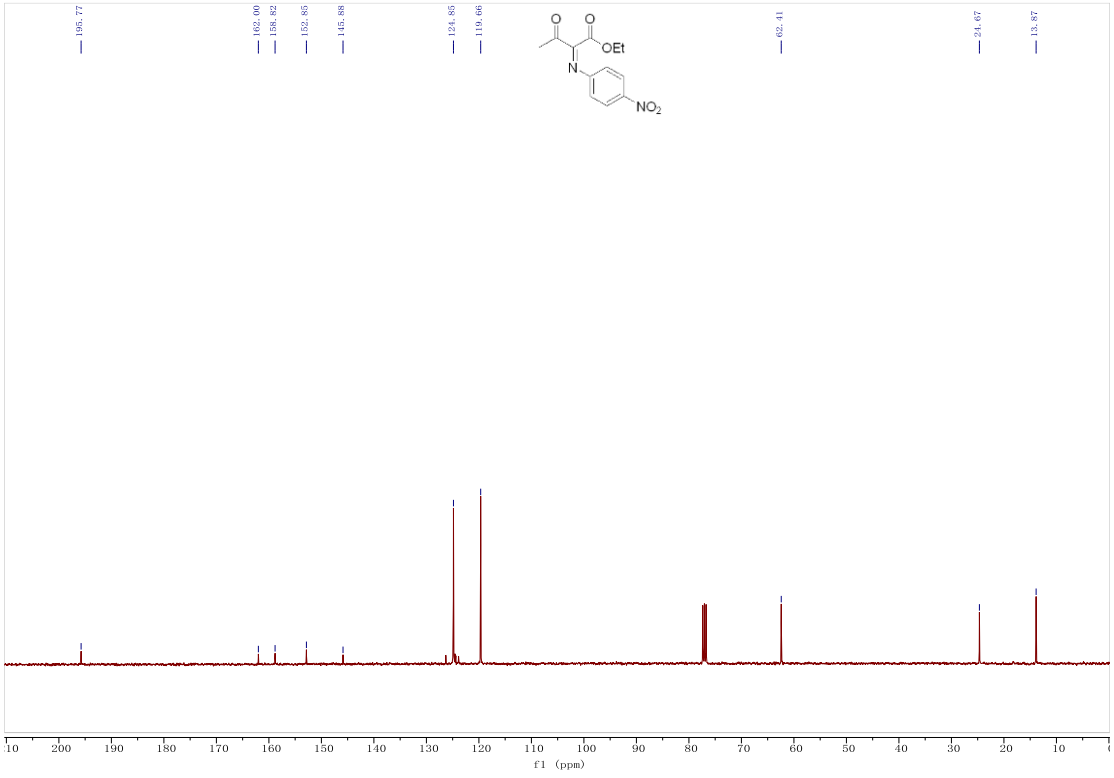
1.13
1.11
O
O
OEt
N
Br
1.90
1.77
1.96
3.00
3.02
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

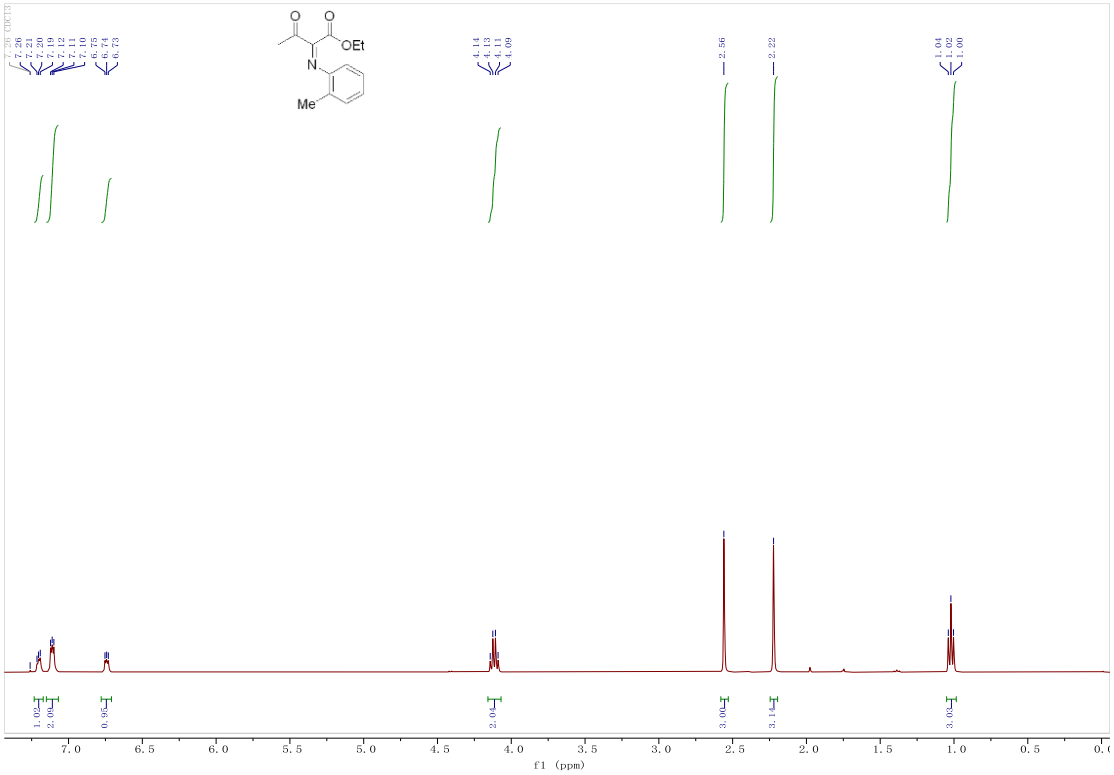

196.51
163.21
157.77
146.14
132.16
121.61
120.64
62.07
24.63
13.82
O
O
OEt
N
Br
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

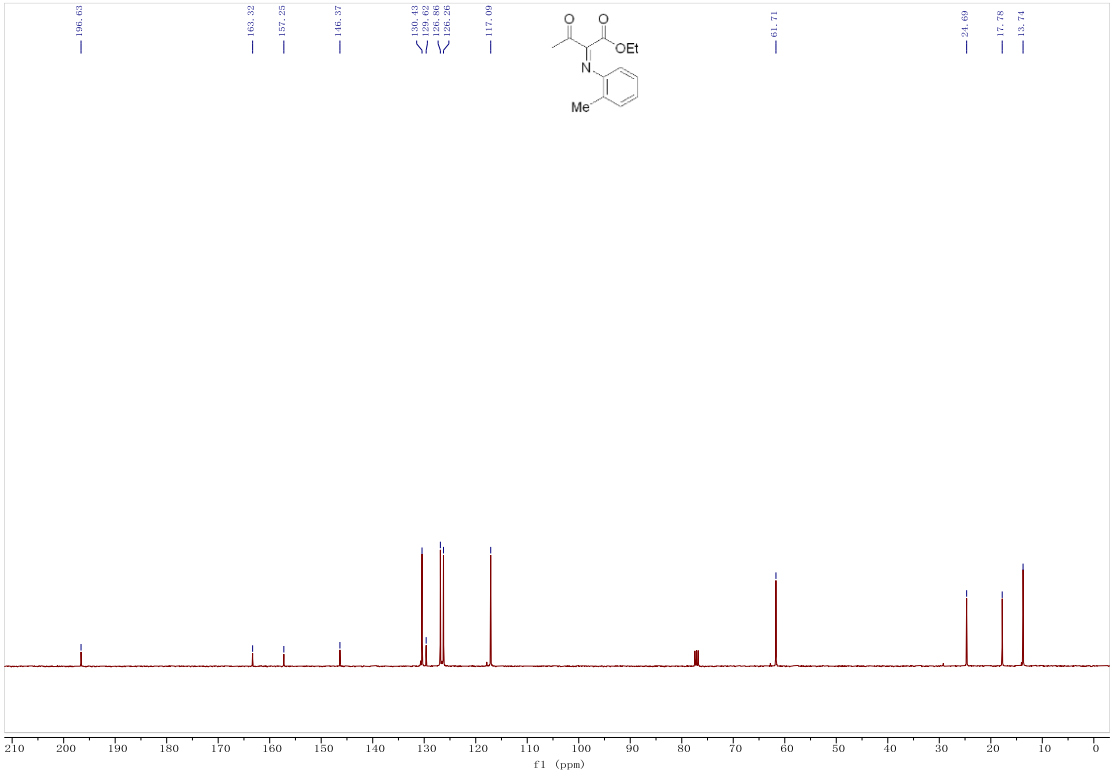
1j


8.26
8.25
8.25
8.24
8.23
8.22
7.26 CDCl3
7.09
7.08
7.08
7.06
7.06
7.05
4.18
4.16
4.14
4.13
2.57
1.10
1.08
1.07
O
O
OEt
N
NO2
2.00
1.91
2.03
3.00
2.97
f1 (ppm)

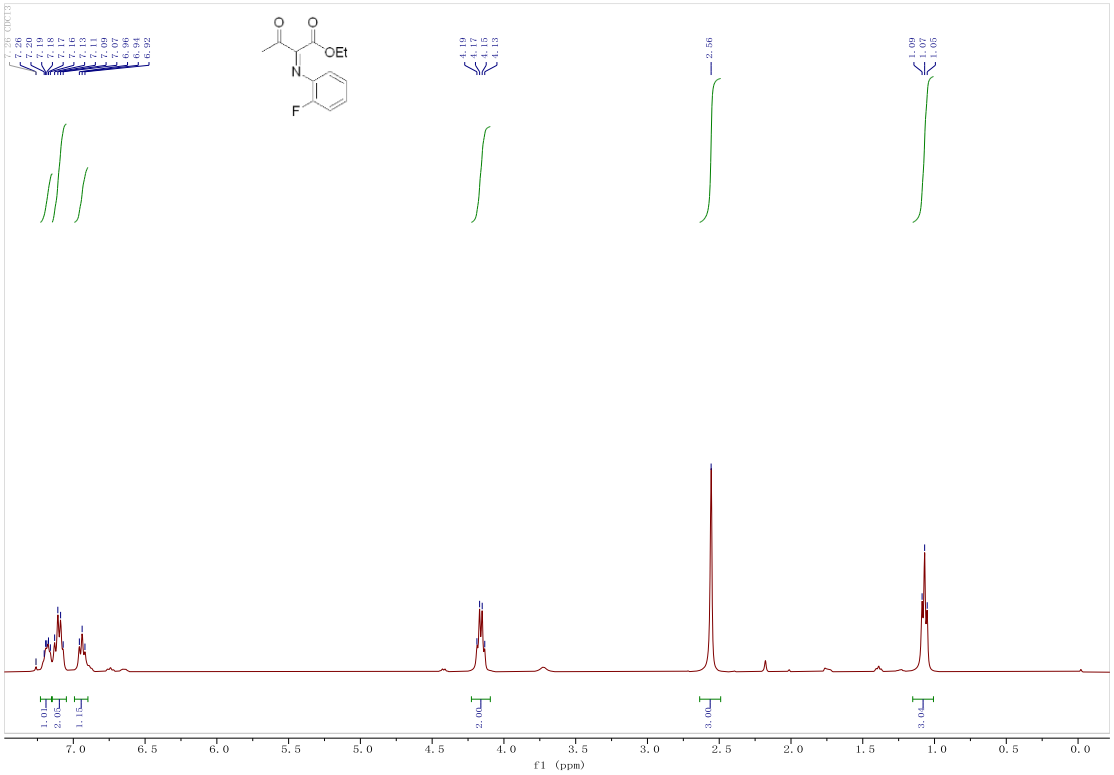

195.77
162.00
158.82
152.85
145.88
124.85
119.66
62.41
24.67
13.87
O
O
OEt
N
NO2
f1 (ppm)

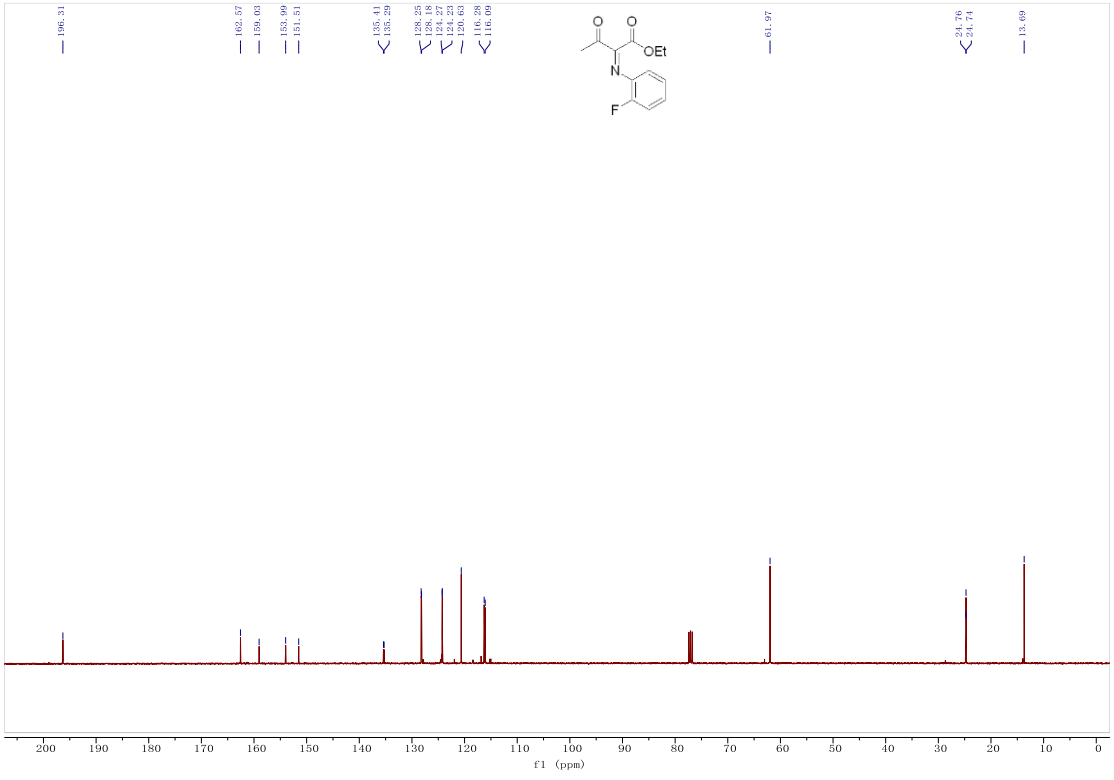
1k

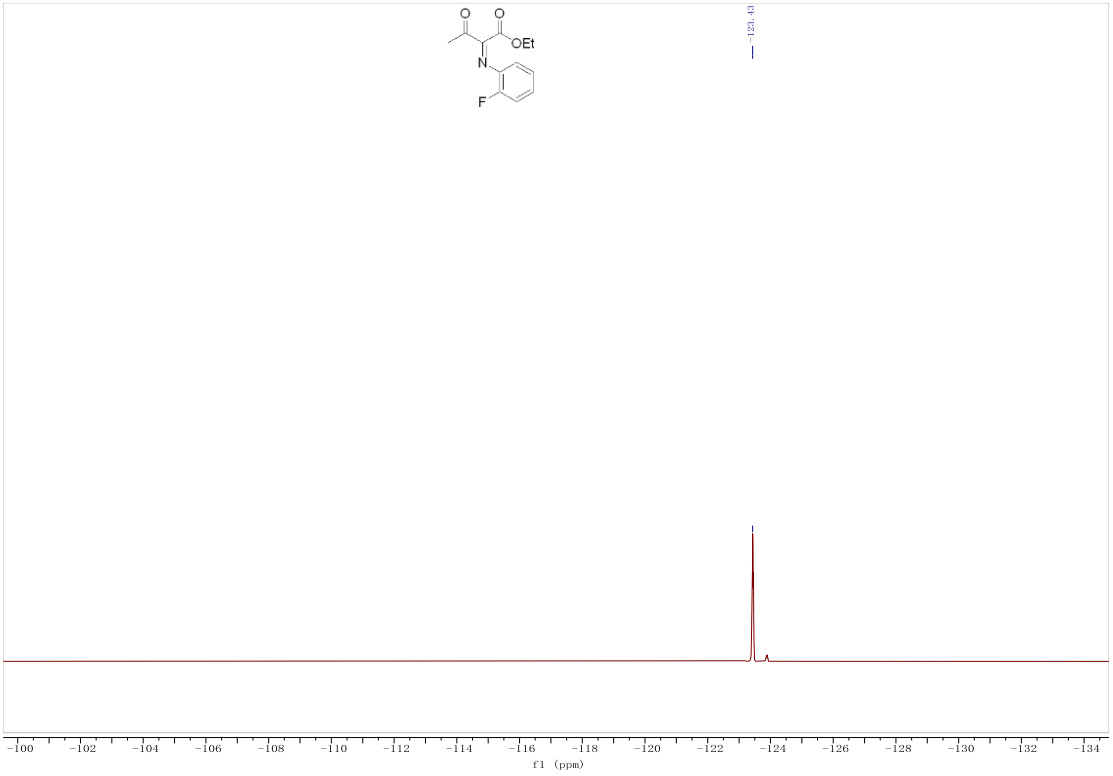

7.26 CDCl3
7.26
7.23
7.20
7.19
7.12
7.11
7.10
6.75
6.74
6.73
4.14
4.13
4.11
4.09
2.56
2.22
1.04
1.02
1.00
O
O
OEt
N
Me
1.02
2.00
0.96
2.04
3.00
3.14
3.03
f1 (ppm)


196.63
163.32
157.25
146.37
130.43
129.62
126.98
126.26
117.09
61.71
24.69
17.78
13.74
O
O
OEt
N
Me
f1 (ppm)

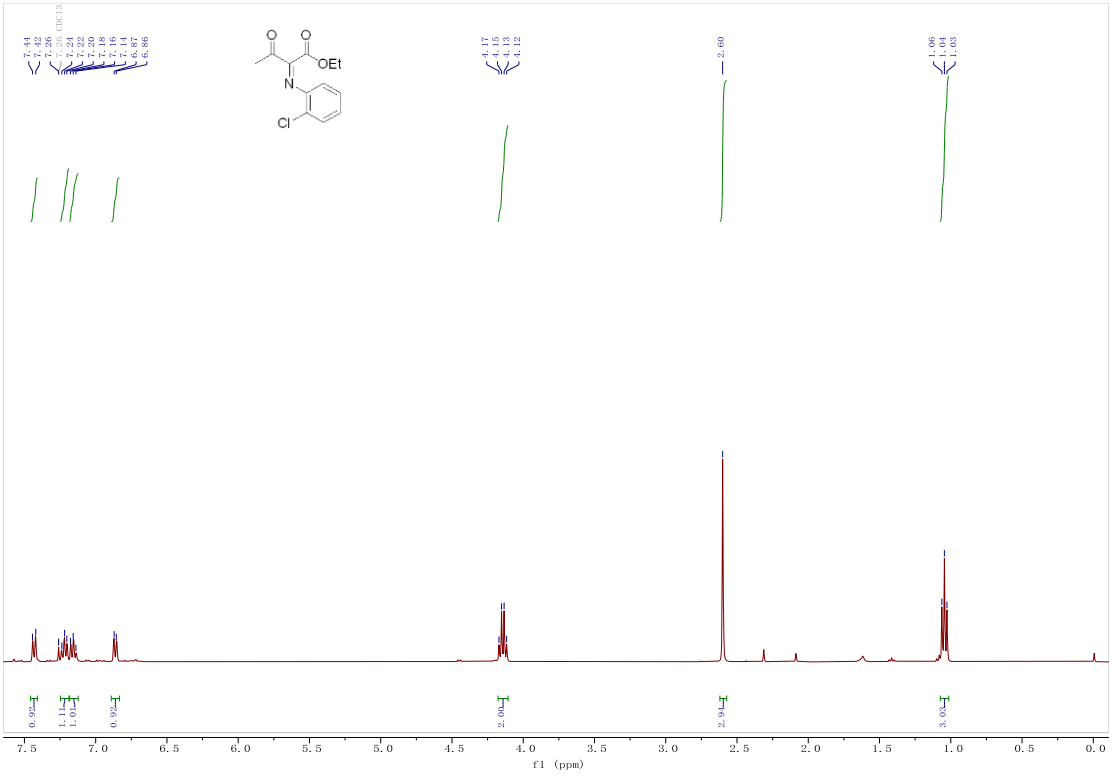
1l

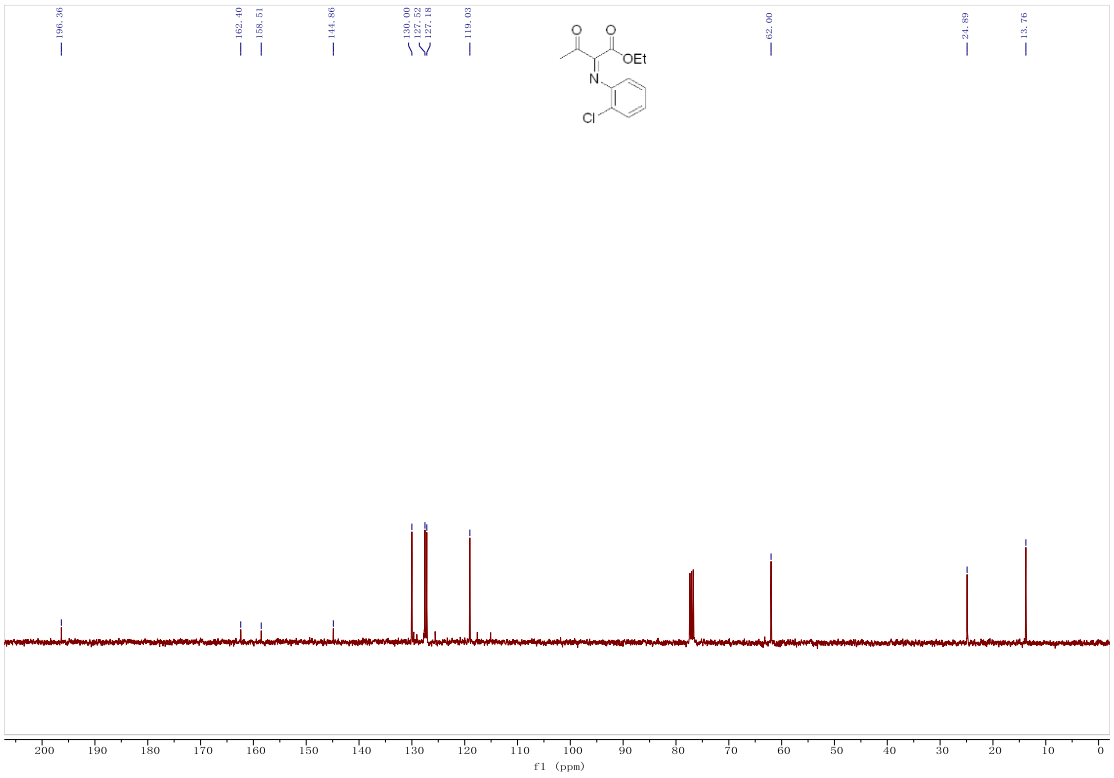

7.26 CDCl3
7.26
7.20
7.19
7.18
7.17
7.16
7.13
7.11
7.09
7.07
6.96
6.94
6.92
4.19
4.17
4.15
4.13
2.56
1.09
1.07
1.05
O
O
OEt
N
F
1.01
2.05
1.15
2.00
3.00
3.04
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


196.31
162.57
159.03
153.99
151.51
135.41
135.29
128.25
128.18
124.27
124.23
120.63
116.28
116.09
61.97
24.76
24.74
13.69
O
O
OEt
N
F
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

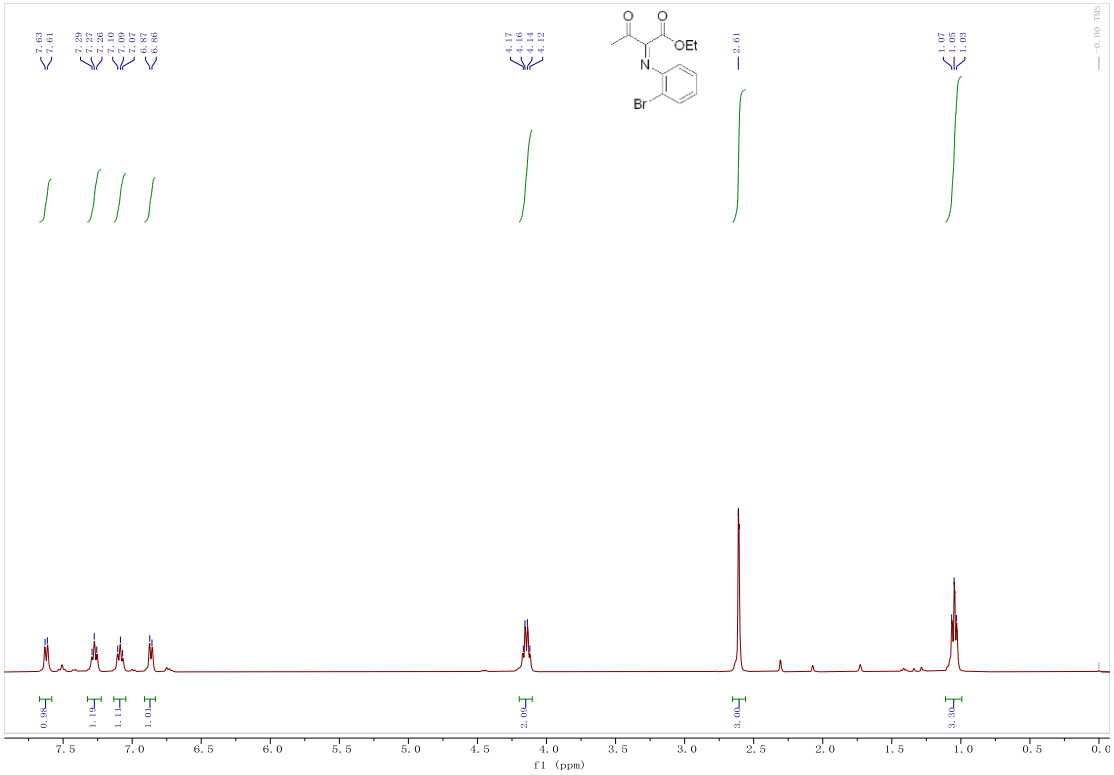

O
O
OEt
N
F
-123.43
-100
-102
-104
-106
-108
-110
-112
-114
-116
-118
-120
-122
-124
-126
-128
-130
-132
-134
f1 (ppm)

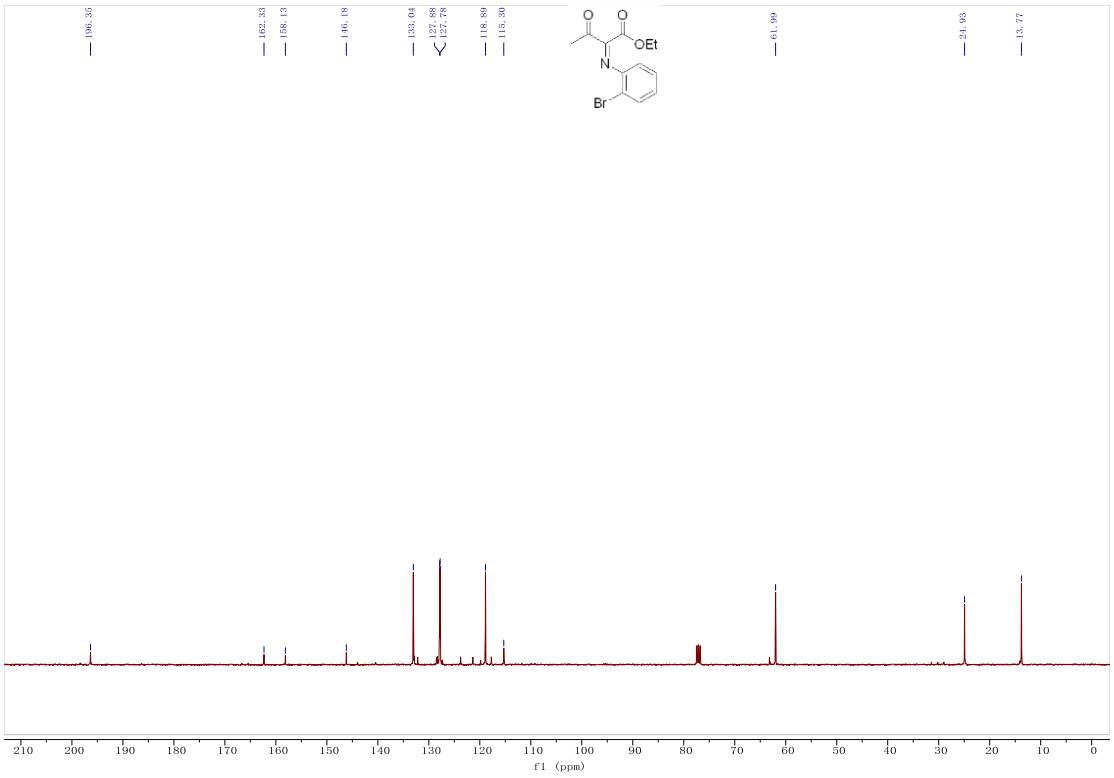
1m


7.44
7.42
7.26
7.24
7.22
7.20
7.18
7.16
7.14
6.87
6.86
CDCl3
O
O
OEt
N
Cl
4.17
4.15
4.13
4.12
2.60
1.06
1.04
1.03
0.92
1.11
1.01
0.92
2.00
2.94
3.03
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
f1 (ppm)

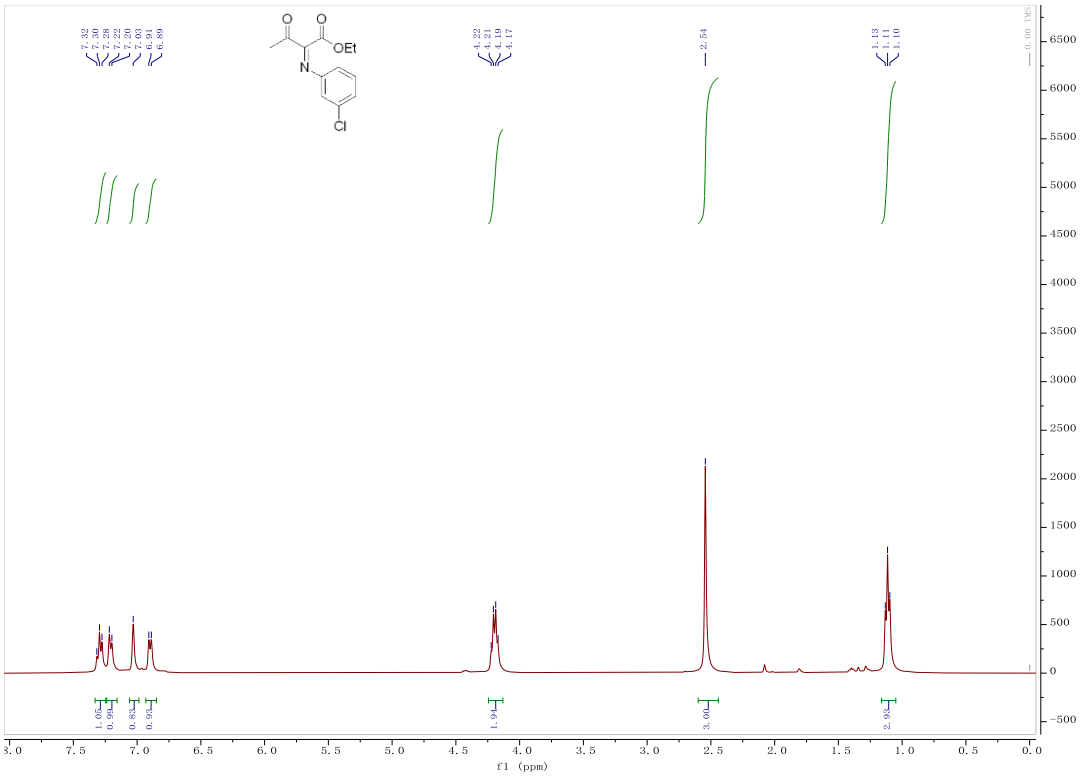

196.36
162.40
158.51
144.86
130.00
127.52
127.18
119.03
62.00
24.89
13.76
OEt
N
Cl
f1 (ppm)

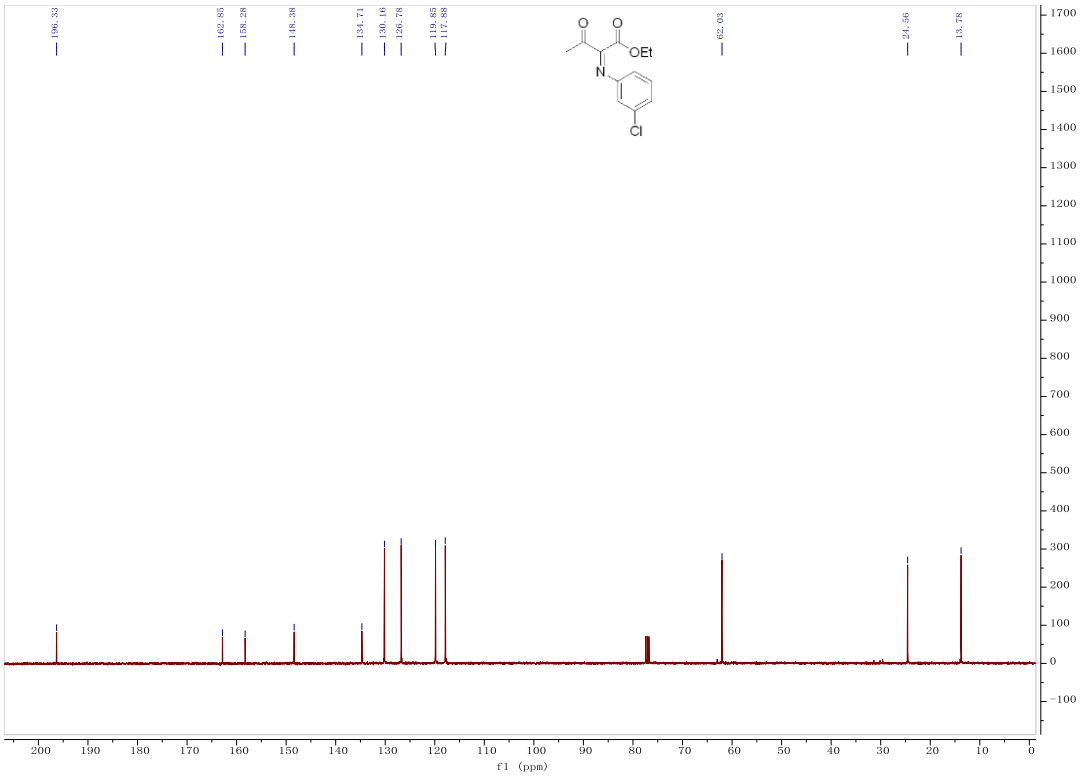
1n


7.63
7.61
7.29
7.27
7.26
7.10
7.09
7.07
6.87
6.86
4.17
4.16
4.14
4.12
2.61
1.07
1.05
1.03
0.00 TMS
OEt
N
Br
0.98
1.19
1.14
1.01
2.09
3.00
3.39
f1 (ppm)

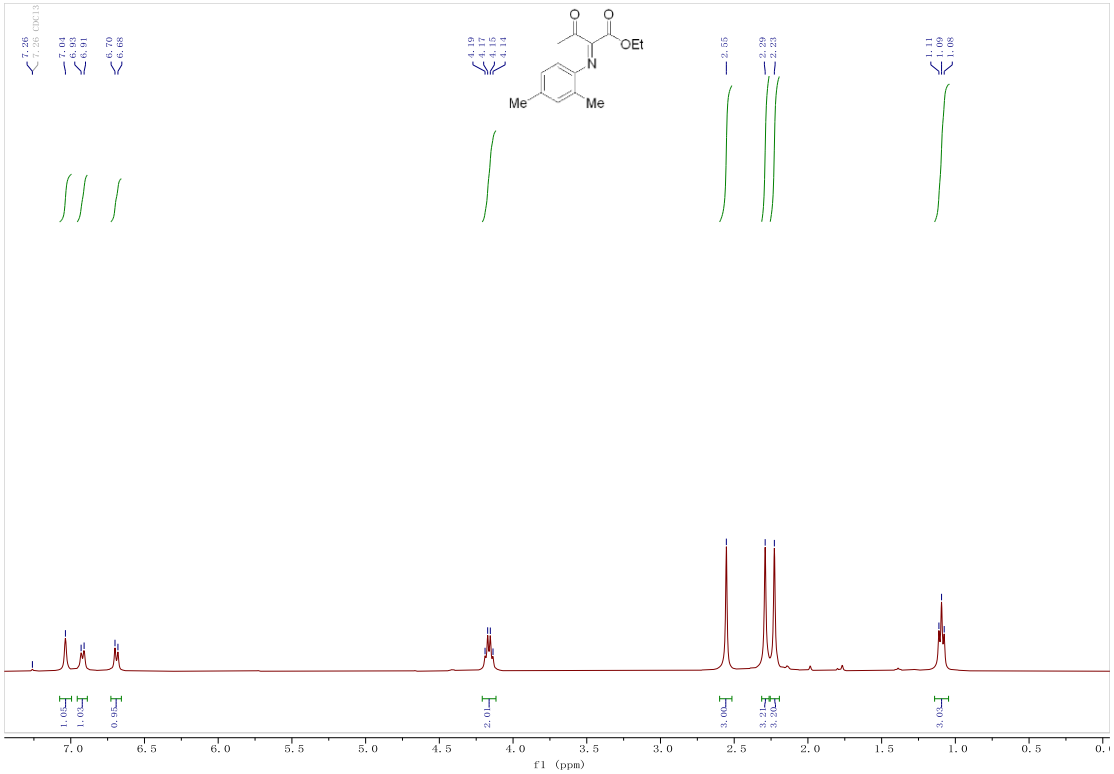

196.35
162.33
158.13
146.18
133.04
127.88
127.78
118.89
115.30
61.99
24.93
13.77
O
O
OEt
N
Br
f1 (ppm)

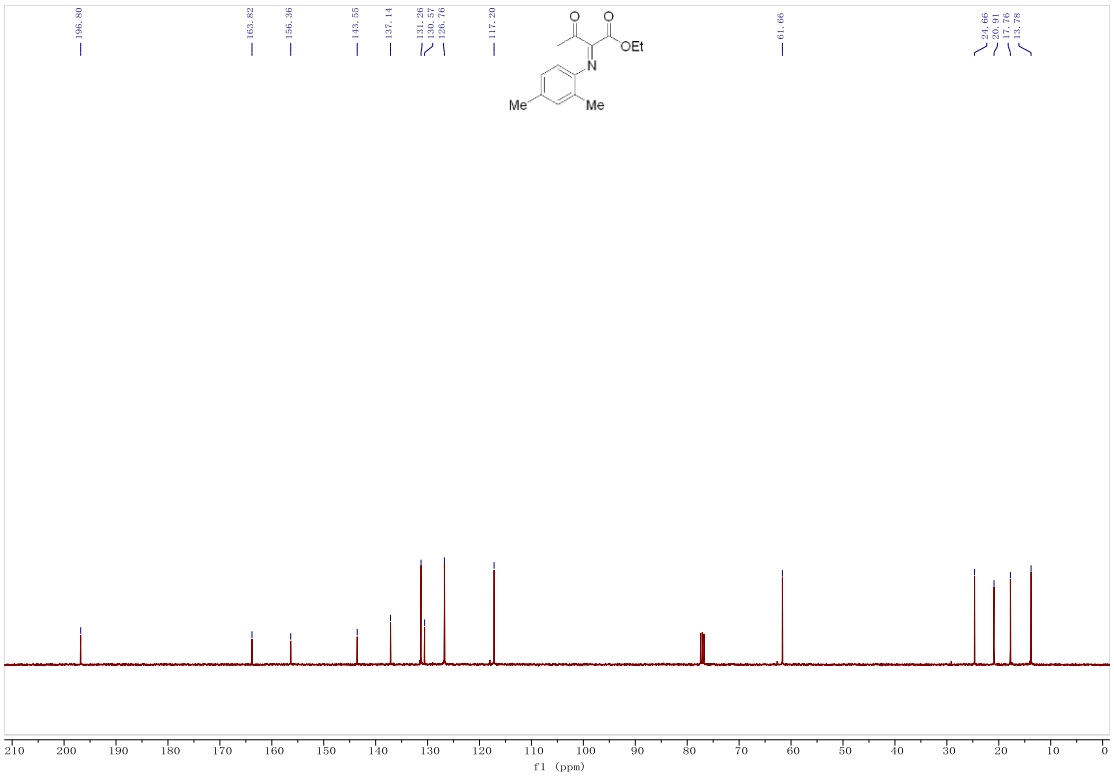
1o


7.32
7.30
7.28
7.22
7.20
7.03
6.91
6.89
4.22
4.21
4.19
4.17
2.54
1.13
1.11
1.10
0.00 TMS
O
O
OEt
N
Cl
1.05
0.99
0.83
0.93
1.94
3.00
2.92
f1 (ppm)

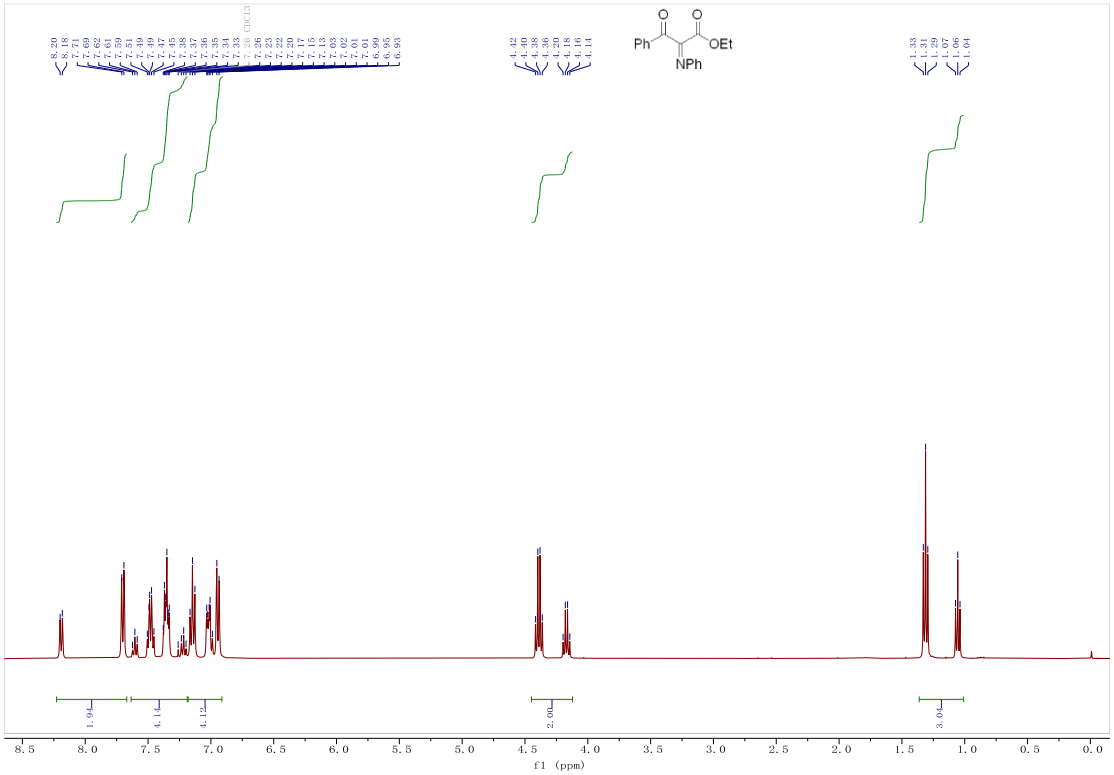

196.33
162.85
158.28
148.38
134.71
130.16
126.78
119.85
117.88
62.03
24.56
13.78
O
O
OEt
N
Cl
f1 (ppm)

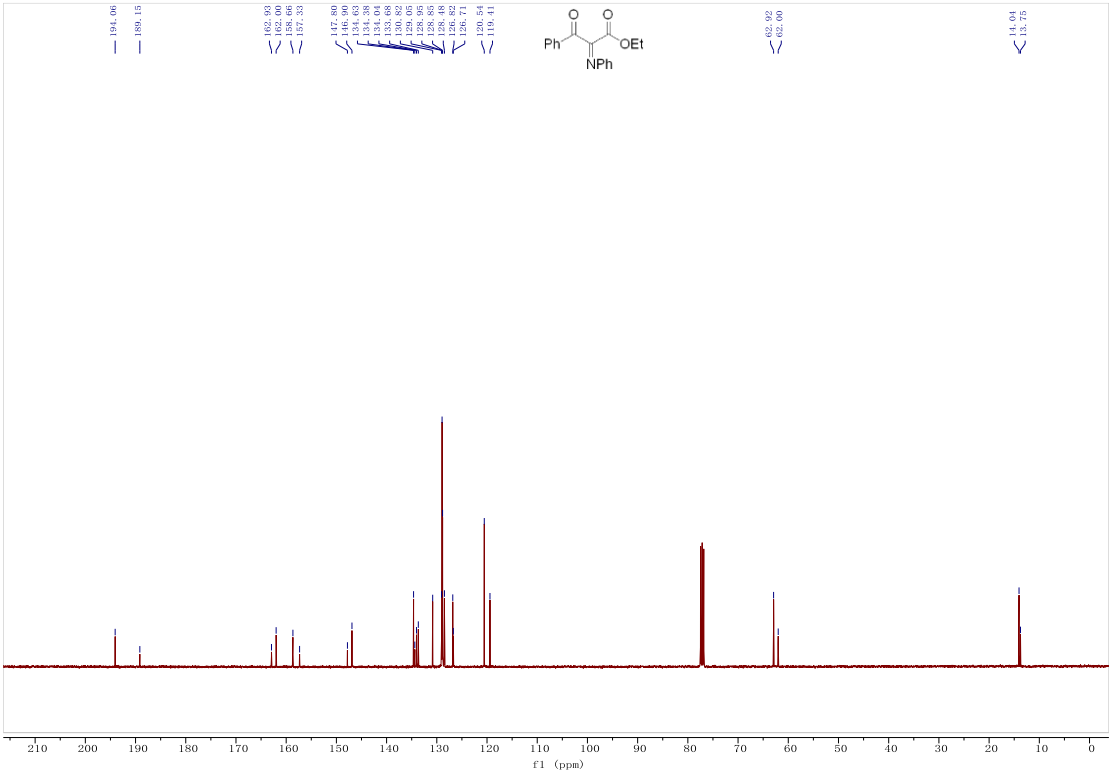
1p


7.26
7.04
6.93
6.91
6.70
6.68
4.19
4.17
4.15
4.14
2.55
2.29
2.23
1.11
1.09
1.08
O
O
OEt
N
Me
Me
1.03
1.03
0.95
2.01
3.04
3.21
3.20
3.03
f1 (ppm)

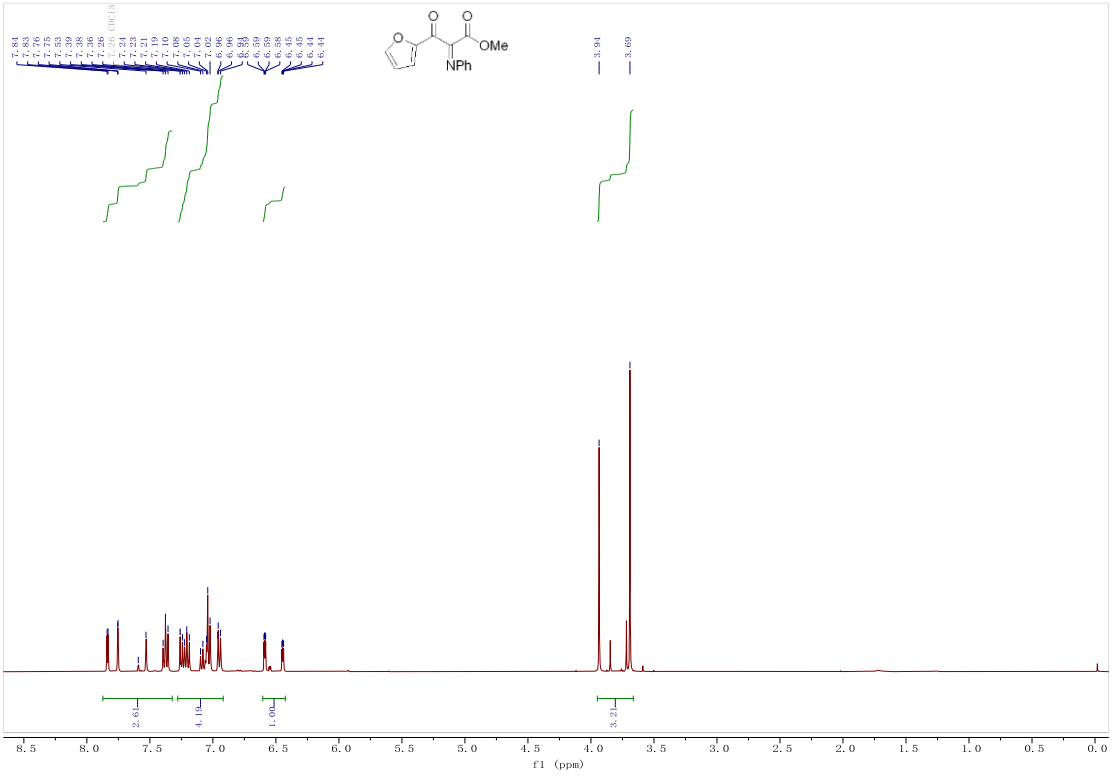

196.80
163.82
156.36
143.55
137.14
131.26
130.57
126.76
117.20
61.66
24.66
20.91
17.76
13.78
O
O
OEt
N
Me
Me
f1 (ppm)

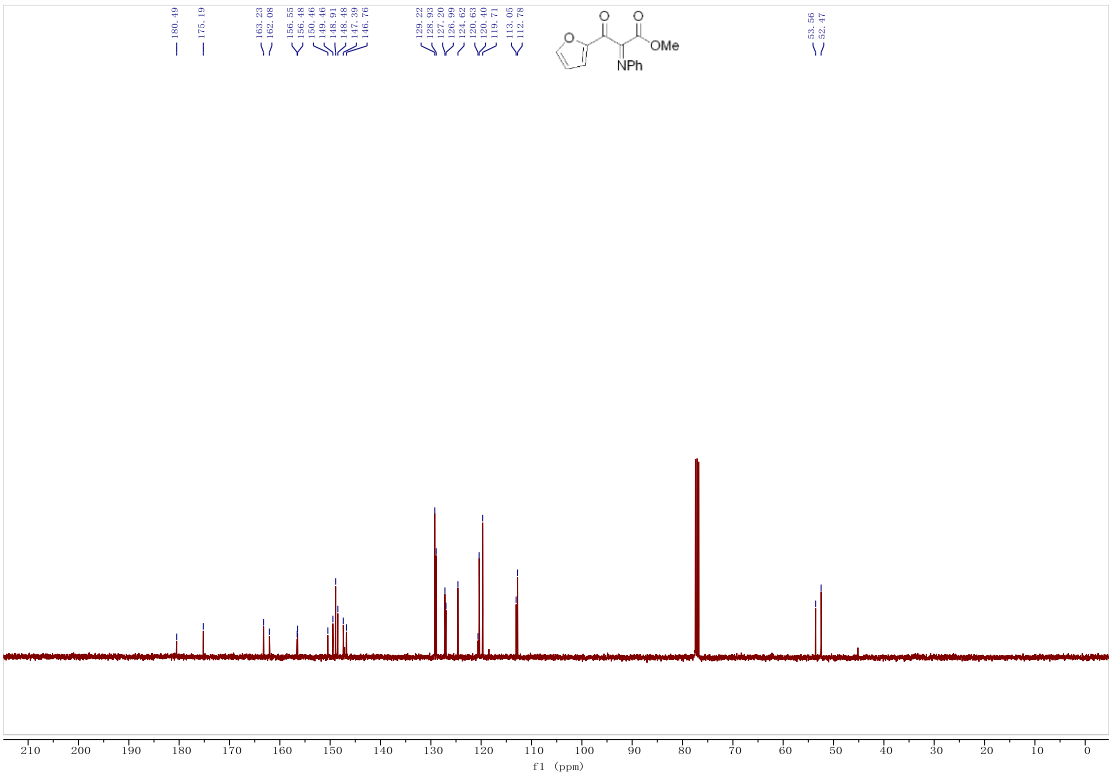
1q


8.20
8.18
7.71
7.69
7.62
7.61
7.59
7.51
7.49
7.49
7.47
7.45
7.38
7.37
7.36
7.35
7.34
7.33
7.26
CDCl3
7.26
7.23
7.22
7.20
7.17
7.15
7.13
7.03
7.02
7.01
7.00
6.99
6.95
6.93
4.42
4.40
4.38
4.36
4.20
4.18
4.16
4.14
1.33
1.31
1.29
1.07
1.06
1.04
Ph
O
O
OEt
NPh
1.94
4.14
4.12
2.00
3.04
f1 (ppm)

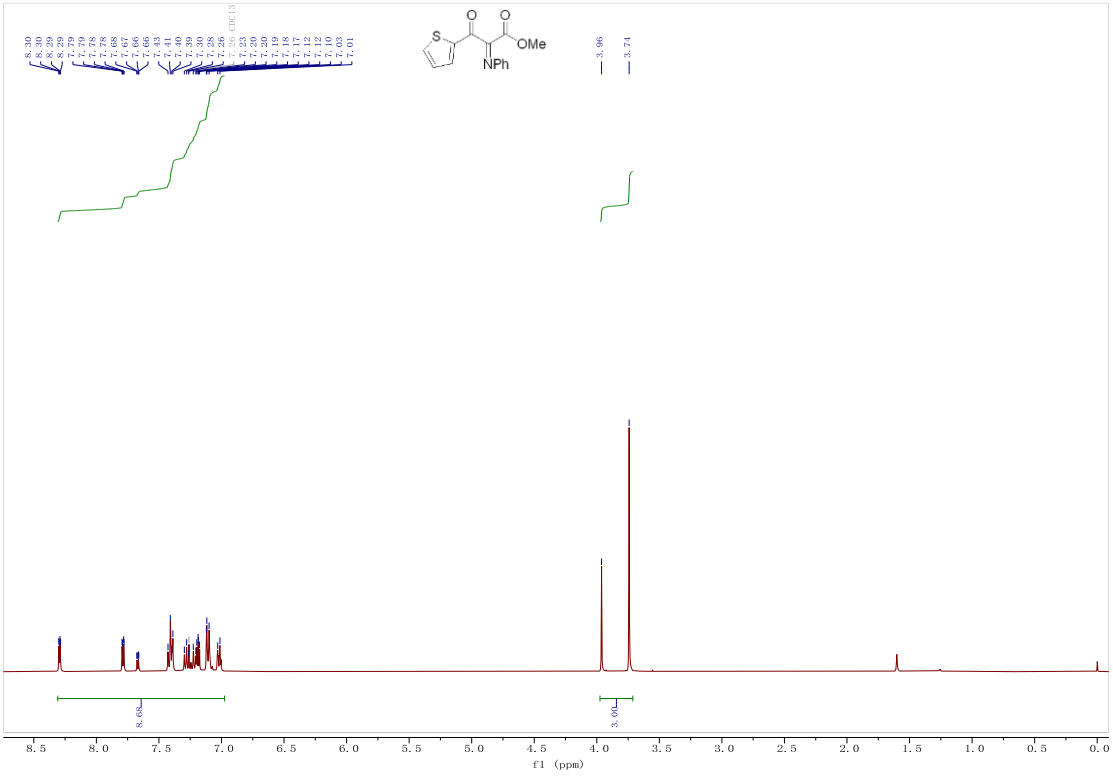

194.06
189.15
163.93
162.09
158.66
157.33
147.80
146.90
134.63
134.38
133.84
133.68
130.82
129.05
128.85
128.85
128.48
126.82
126.71
120.54
118.41
62.92
62.90
14.04
13.75
Ph
O
O
OEt
NPh
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

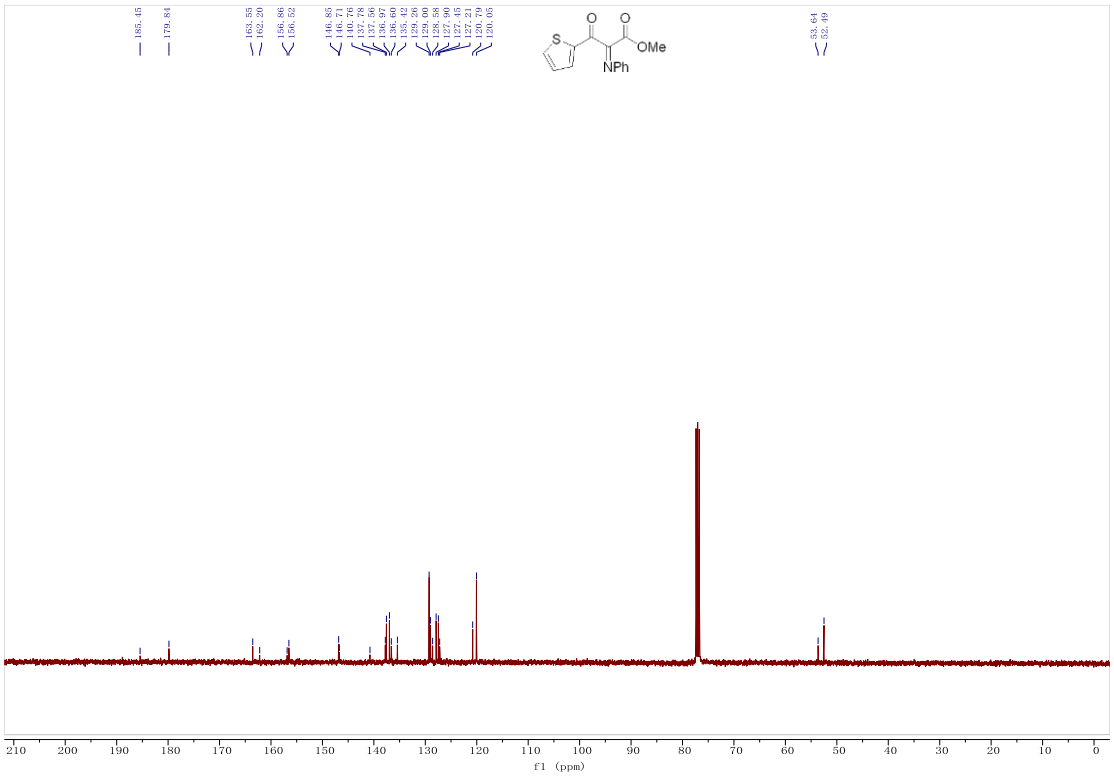
1r


7.84
7.83
7.76
7.75
7.53
7.39
7.38
7.36
7.26
CDCl3
7.24
7.23
7.21
7.19
7.10
7.08
7.05
7.04
7.02
6.96
6.96
6.94
6.94
6.59
6.58
6.58
6.45
6.45
6.44
6.44
O
O
OMe
NPh
3.94
3.69
2.61
4.19
1.00
3.21
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
f1 (ppm)

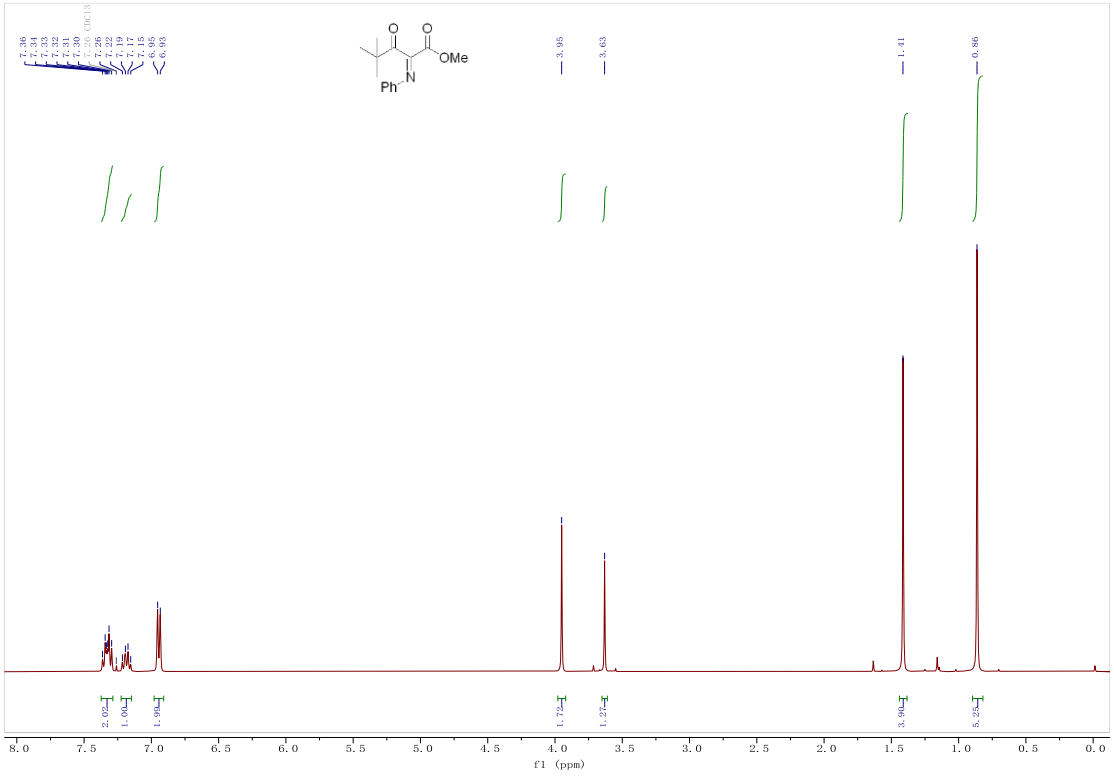

180.49
175.19
163.23
162.08
156.55
156.48
150.46
149.46
148.91
148.48
147.39
146.76
129.22
128.93
127.20
126.99
123.62
120.63
120.40
119.71
113.05
112.78
53.56
52.47
O
O
O
OMe
NPh
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

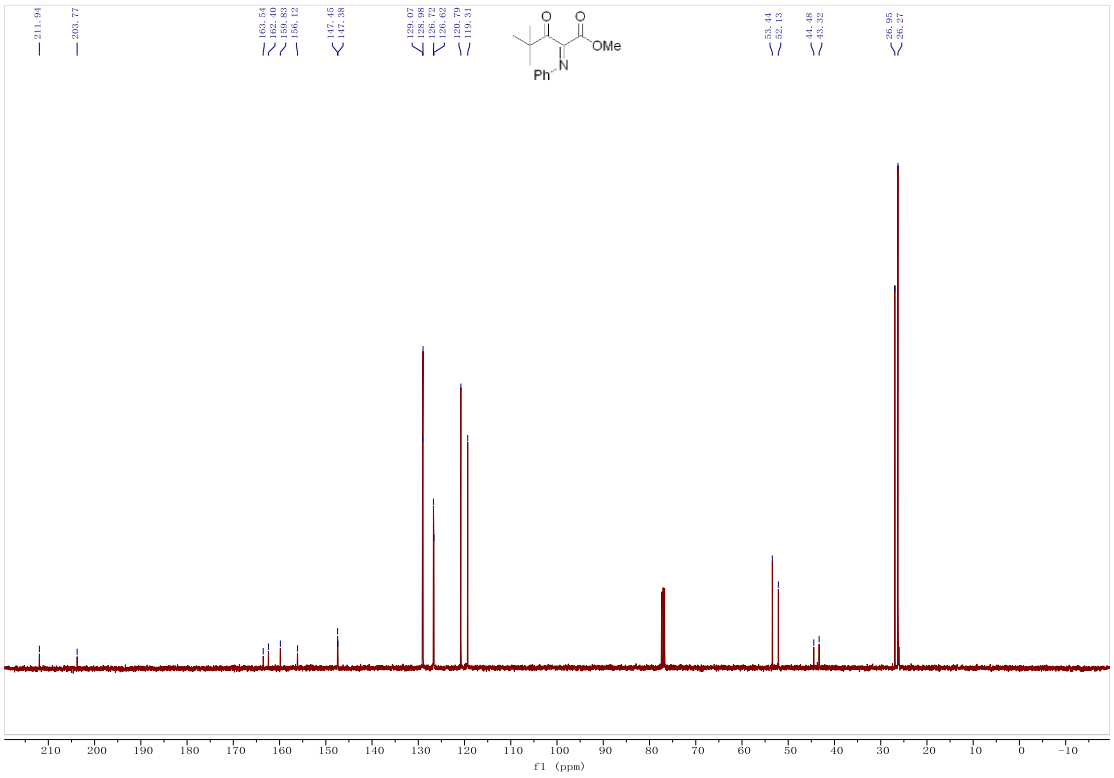
1s


8.30
8.30
8.29
8.29
7.79
7.79
7.78
7.78
7.68
7.67
7.66
7.66
7.43
7.41
7.40
7.39
7.30
7.28
7.26
CDCl3
7.23
7.20
7.20
7.19
7.18
7.17
7.12
7.12
7.10
7.03
7.01
3.96
3.74
S
O
O
OMe
NPh
8.68
3.00
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
f1 (ppm)


185.45
179.84
163.55
162.20
158.86
156.52
146.85
146.71
140.76
137.78
137.56
136.97
135.60
133.42
129.26
129.00
128.58
127.90
127.45
127.21
120.79
120.06
53.64
52.49
S
O
O
OMe
NPh
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

1t


7.36
7.34
7.33
7.32
7.32
7.31
7.30
7.26
7.25
CDCl3
7.22
7.19
7.17
7.15
6.95
6.93
O
O
OMe
Ph
N
3.95
3.63
1.41
0.86
2.02
1.00
1.89
1.72
1.27
3.90
5.25
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
f1 (ppm)


211.94
203.77
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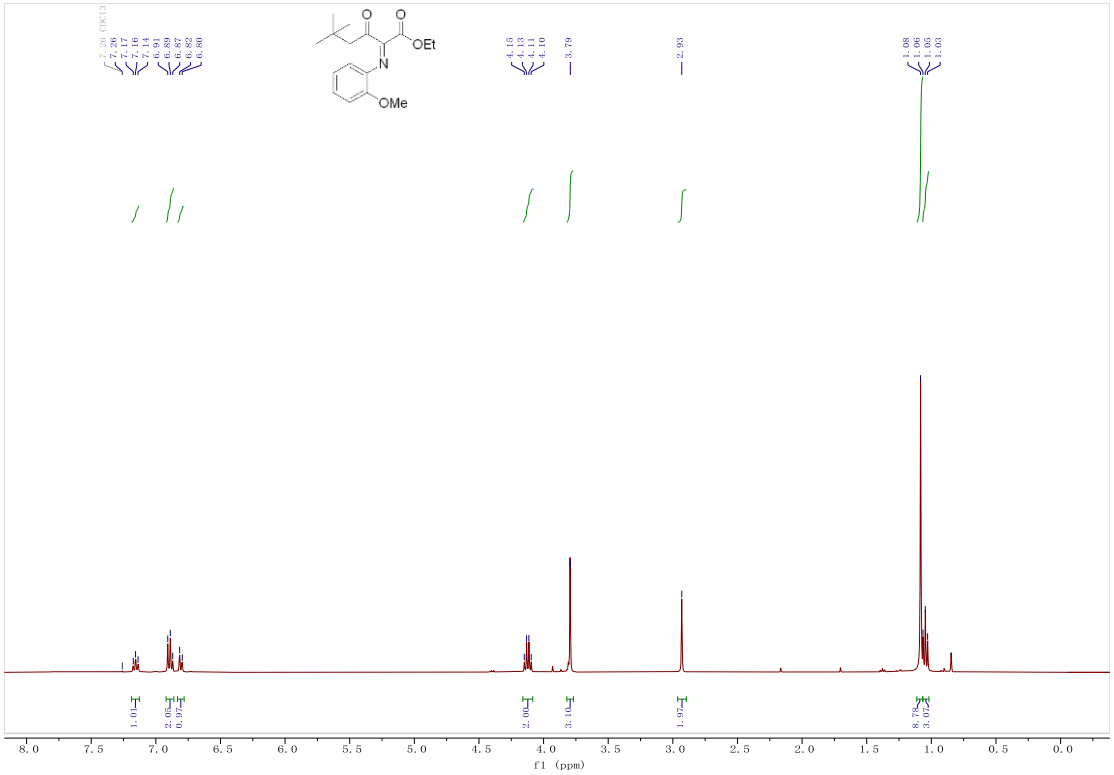
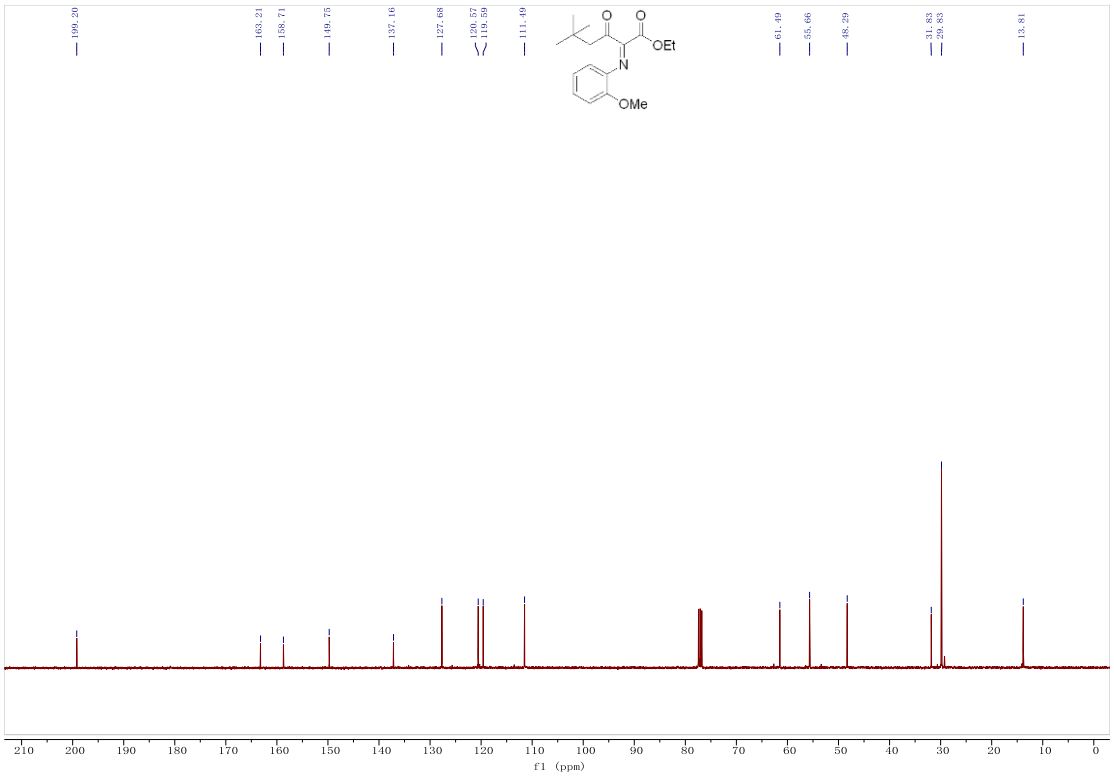
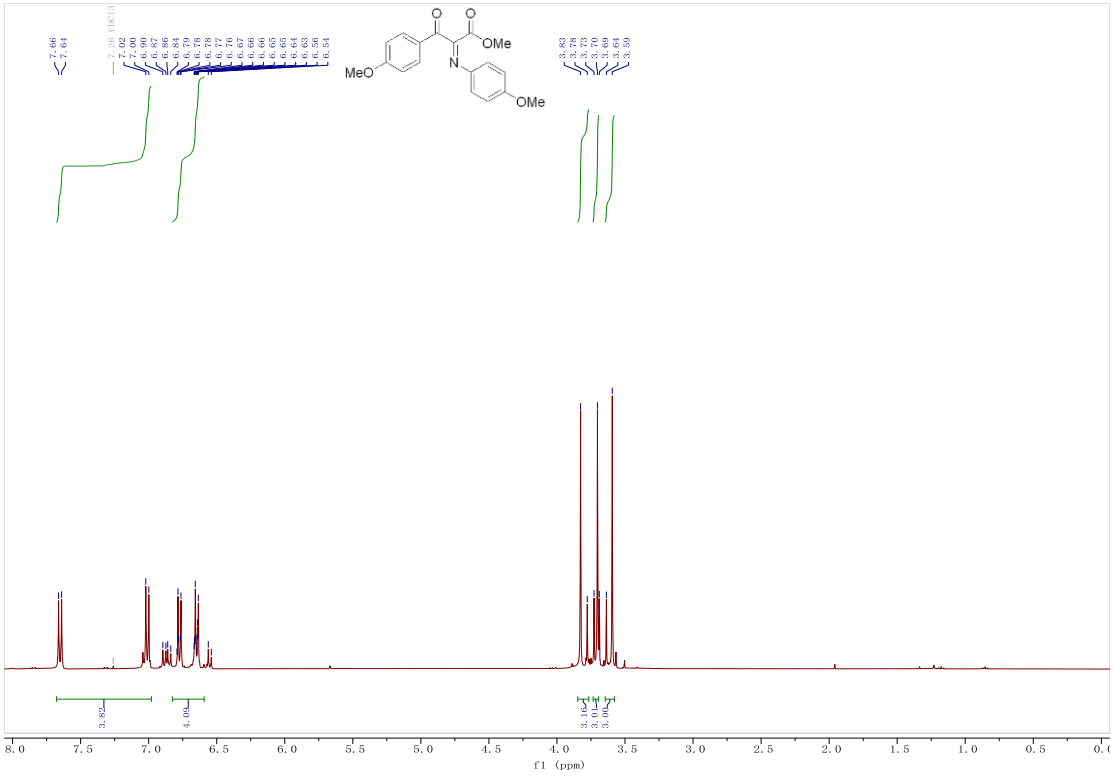
159.83
158.12
147.45
147.38
129.07
128.86
126.72
126.62
120.79
119.31
53.44
52.13
44.48
43.32
26.95
26.27
OMe
Ph
N
f1 (ppm)

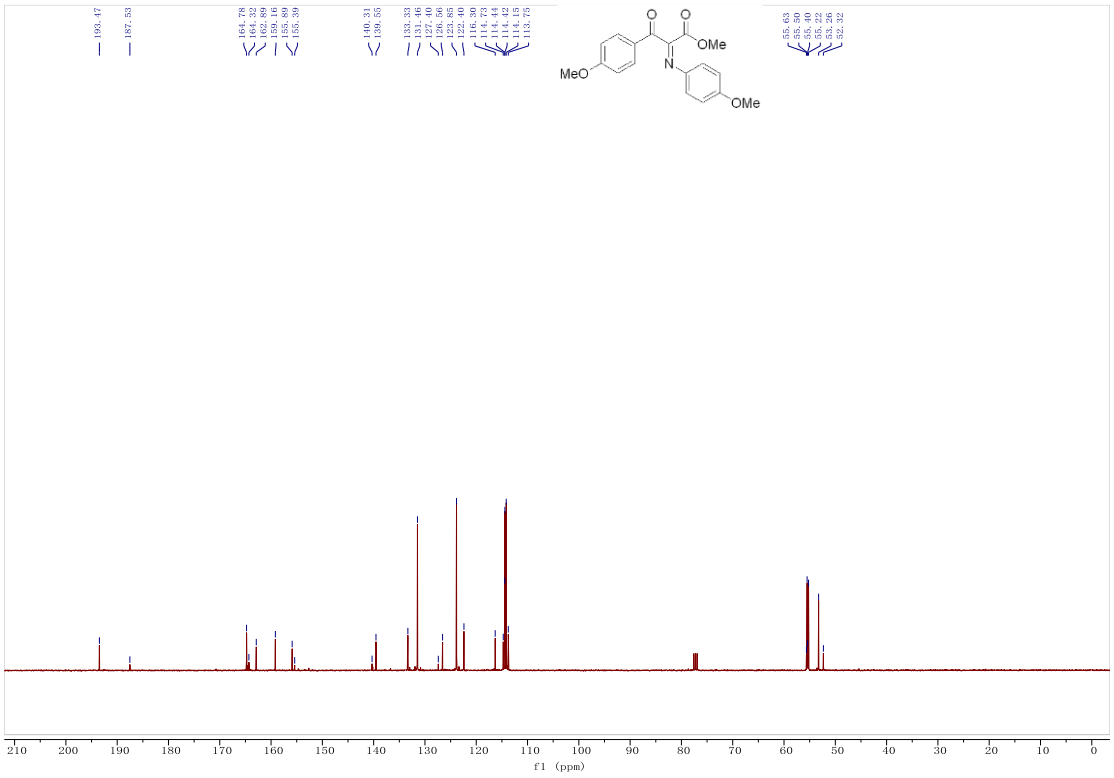
1u


7.30 CDCl3
7.26
7.17
7.16
7.14
6.89
6.89
6.87
6.82
6.80
4.15
4.13
4.11
4.10
3.79
2.93
1.08
1.06
1.05
1.03
OEt
N
OMe
1.01
2.05
0.97
2.00
3.10
1.97
8.78
3.07
f1 (ppm)

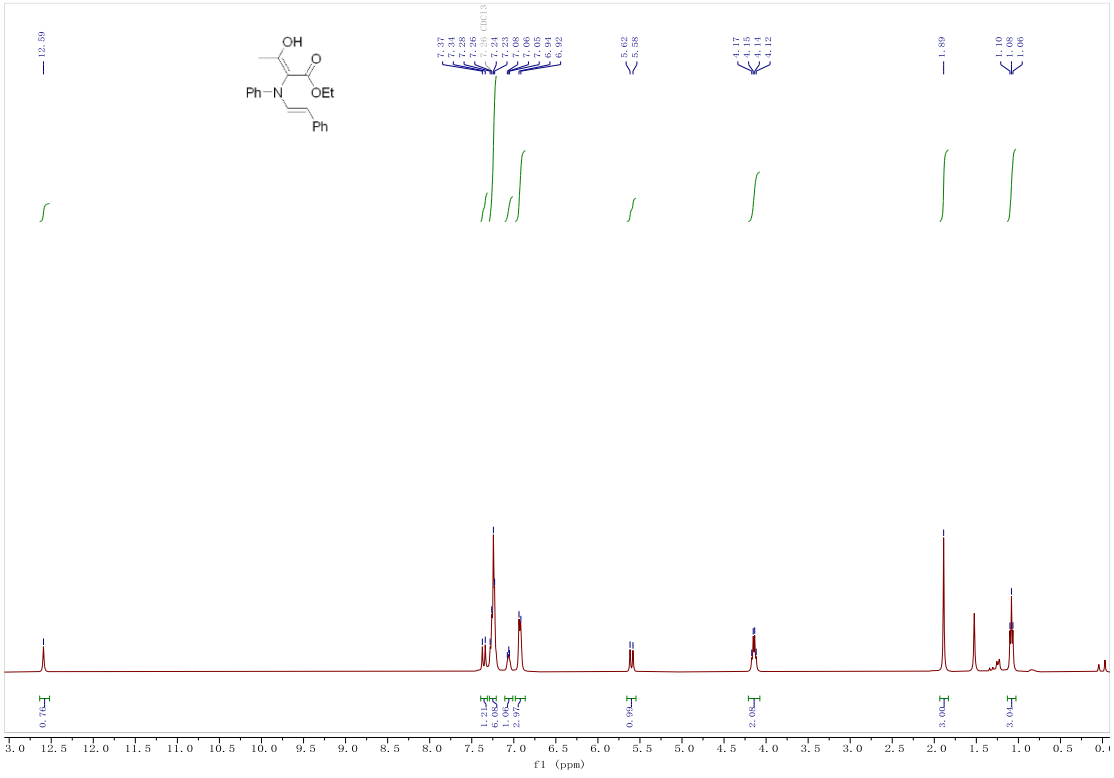

199.20
163.21
158.71
149.75
137.16
127.68
120.57
119.59
111.49
61.49
55.66
48.29
31.83
29.83
13.81
OEt
N
OMe
f1 (ppm)

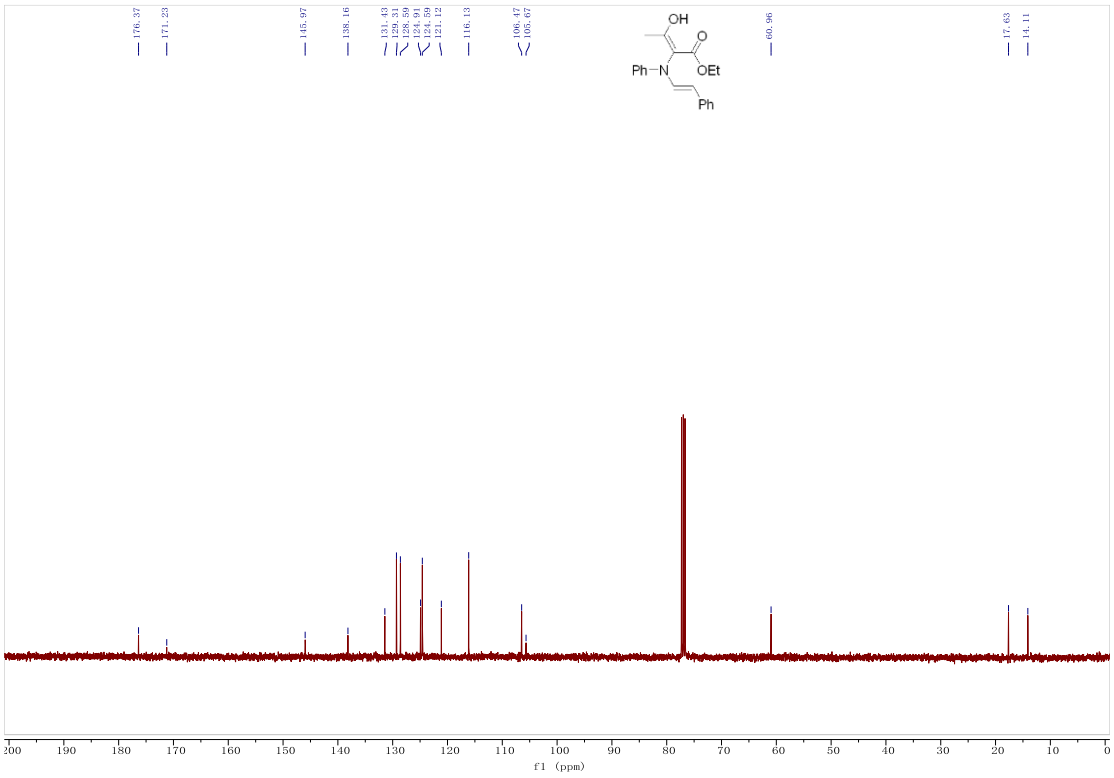
1v


7.66
7.64
7.26 CDCl3
7.02
7.00
6.90
6.87
6.86
6.84
6.79
6.78
6.77
6.76
6.67
6.66
6.65
6.64
6.63
6.56
6.54
3.83
3.78
3.73
3.70
3.69
3.64
3.59
OMe
MeO
OMe
3.82
4.09
3.16
3.01
3.00
f1 (ppm)

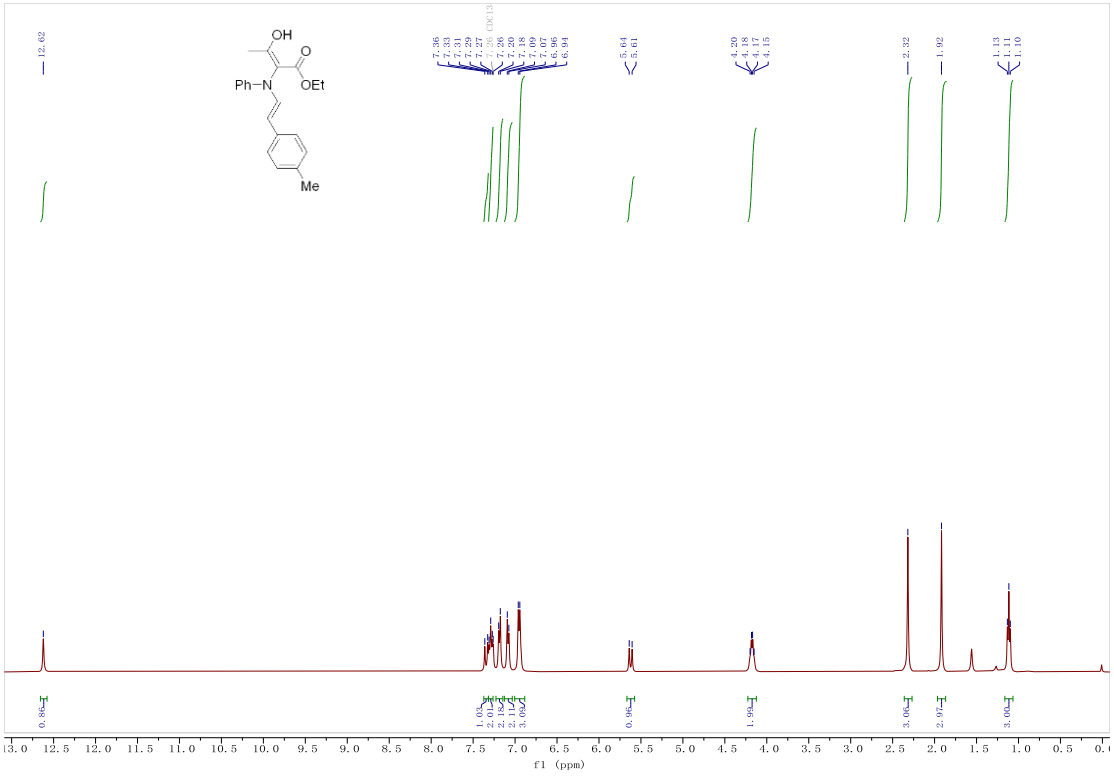

193.47
187.53
164.78
163.32
162.89
159.16
155.89
155.39
140.31
139.55
133.33
131.46
127.40
126.56
125.85
122.40
116.30
114.73
114.44
114.23
114.15
113.75
55.63
55.50
55.40
55.22
53.38
52.32
MeO
OMe
N
OMe
f1 (ppm)

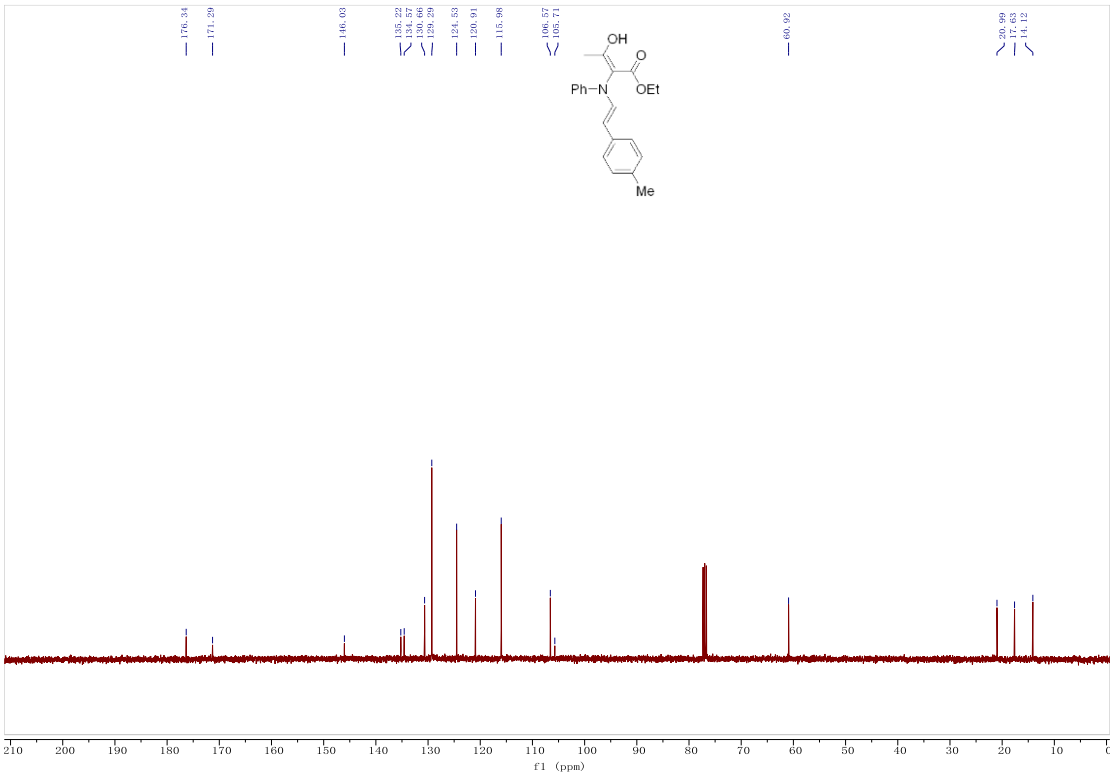
3aa


12.59
OH
O
Ph
N
OEt
Ph
7.37
7.34
7.28
7.26
CDCl3
7.24
7.23
7.08
7.05
6.94
6.92
5.62
5.58
4.17
4.15
4.14
4.12
1.89
1.10
1.08
1.06
0.76
1.21
6.08
1.96
2.97
0.99
2.08
3.00
3.04
f1 (ppm)

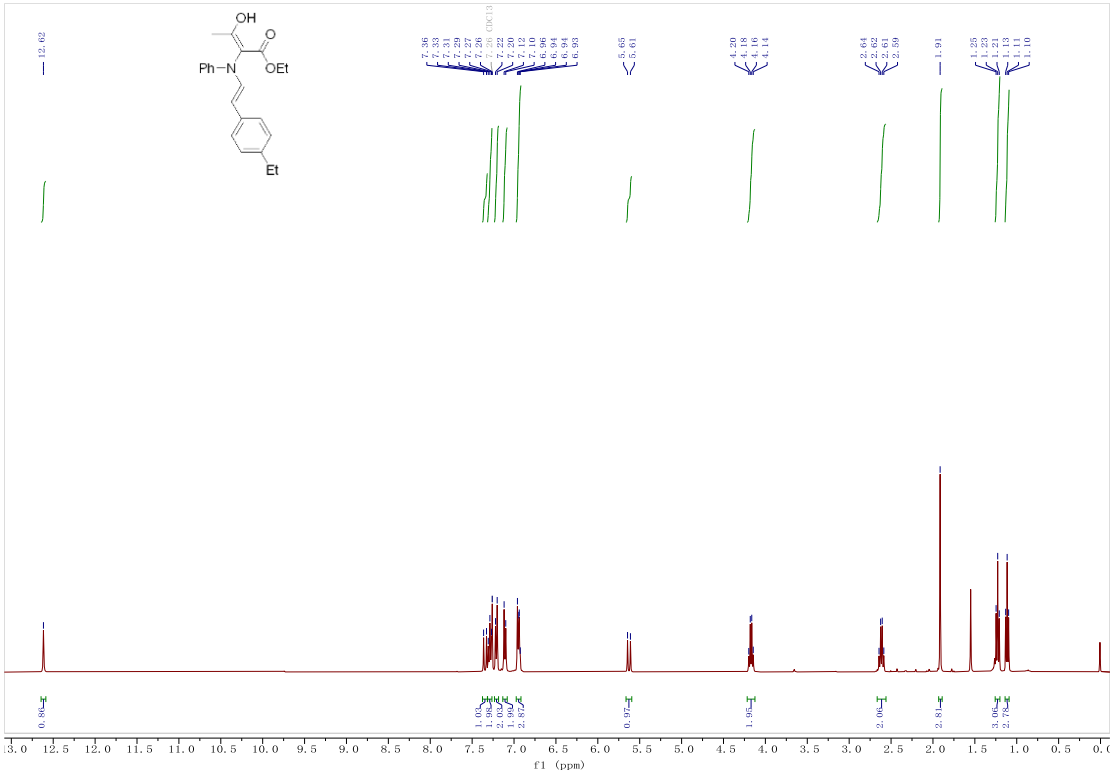


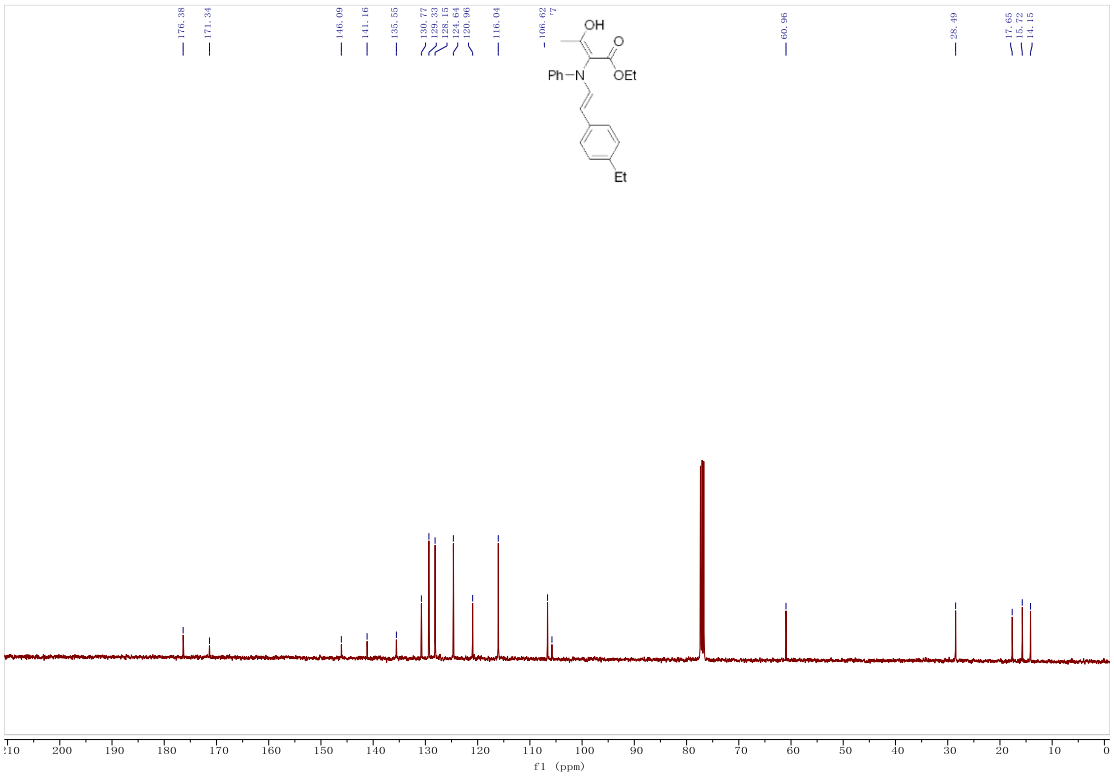
3ab



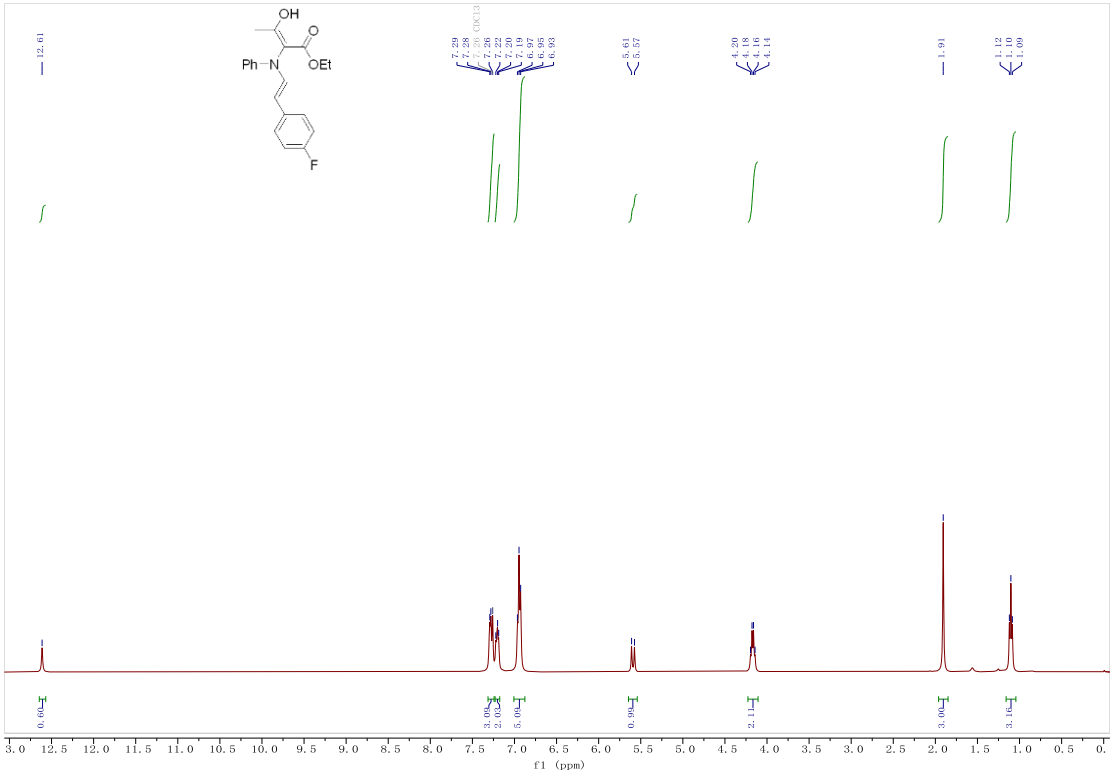

176.34
171.29
146.03
135.22
131.57
130.66
129.29
124.53
120.91
115.98
106.57
105.71
60.92
20.99
17.63
14.12
OH
O
Ph–N
OEt
Me
f1 (ppm)

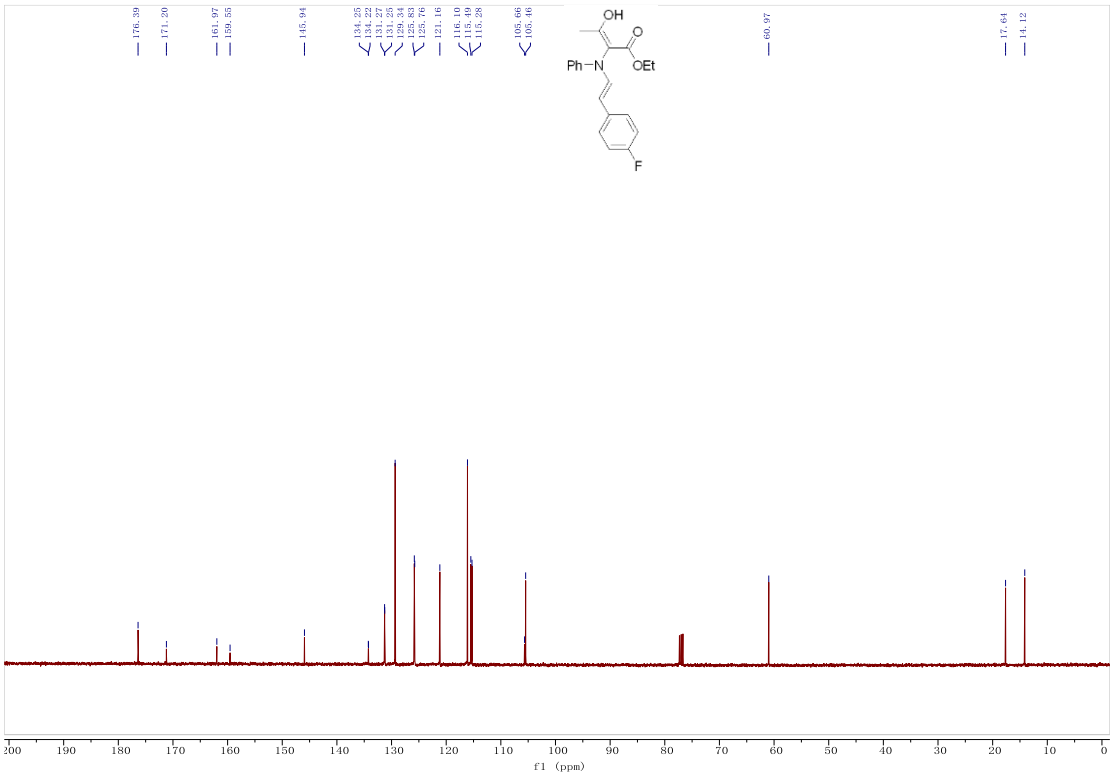
3ac

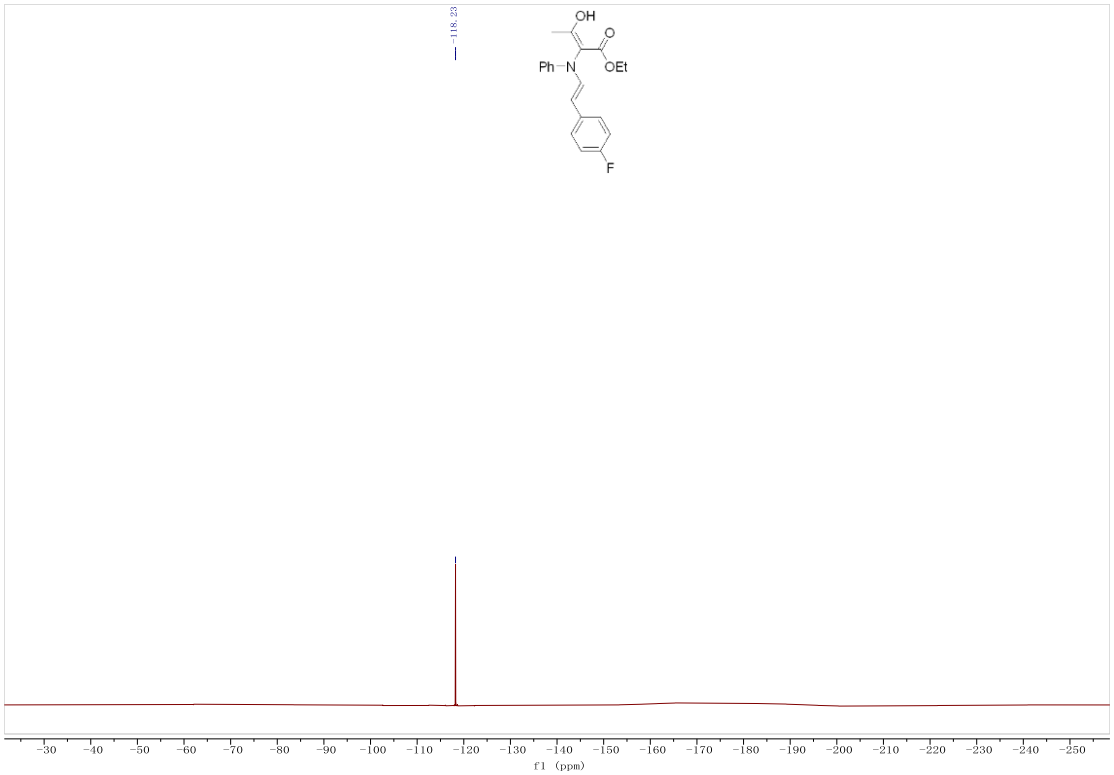

12.62
OH
O
Ph–N
OEt
Et
7.38
7.33
7.31
7.29
7.27
7.26
CDCl3
7.22
7.20
7.12
7.10
6.96
6.94
6.94
6.88
5.65
5.61
4.20
4.18
4.16
4.14
2.64
2.62
2.61
2.59
1.91
1.25
1.23
1.21
1.13
1.11
1.10
f1 (ppm)



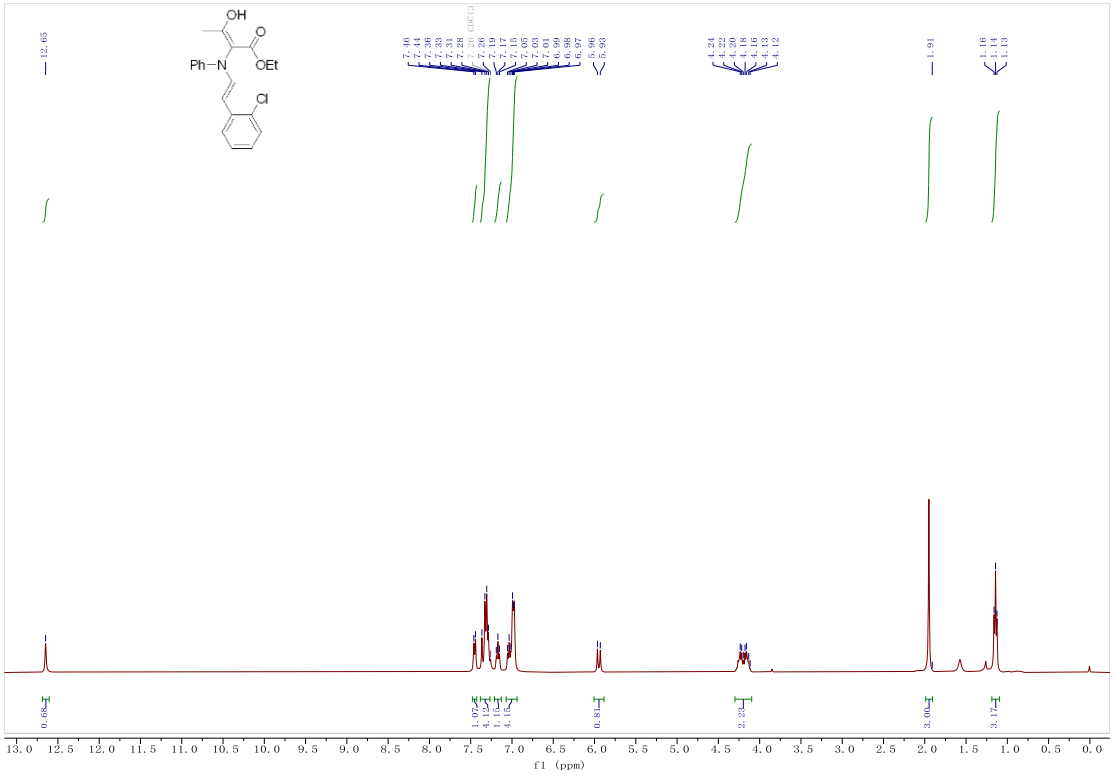
3ad

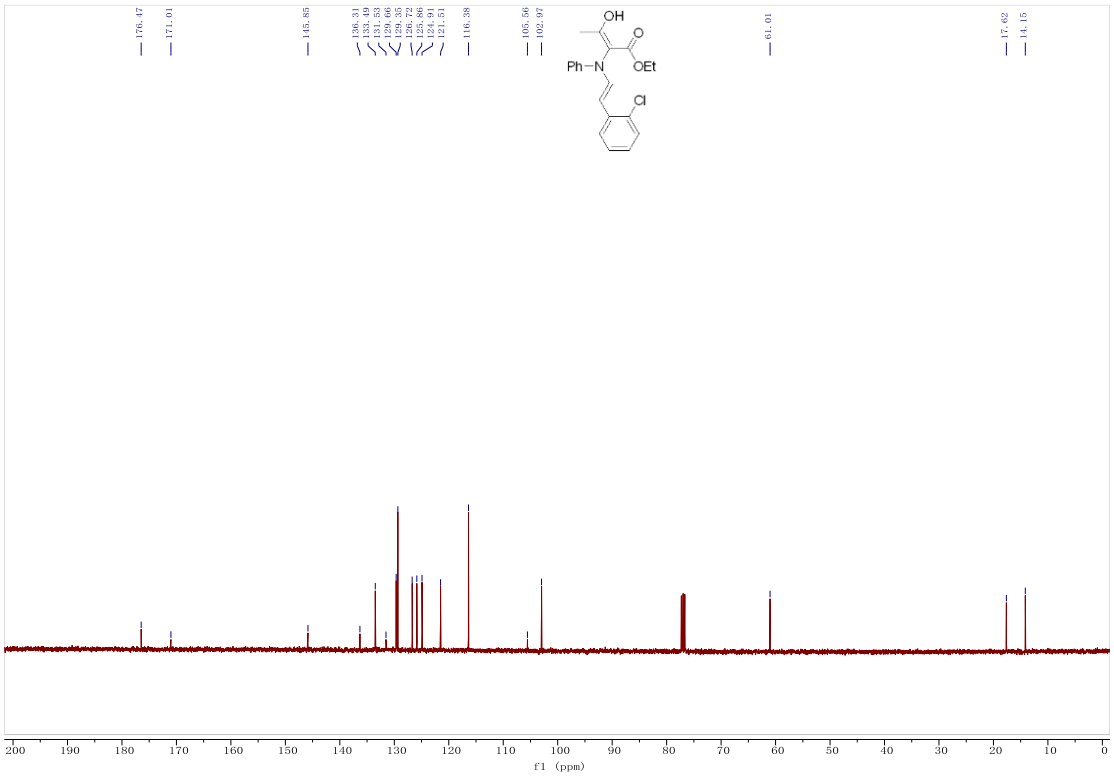



176.39
171.20
161.97
159.55
145.94
134.25
134.22
131.27
131.25
129.34
125.83
125.76
121.16
116.10
115.49
115.28
105.66
105.46
60.97
17.64
14.12
OH
O
Ph–N
OEt
F
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

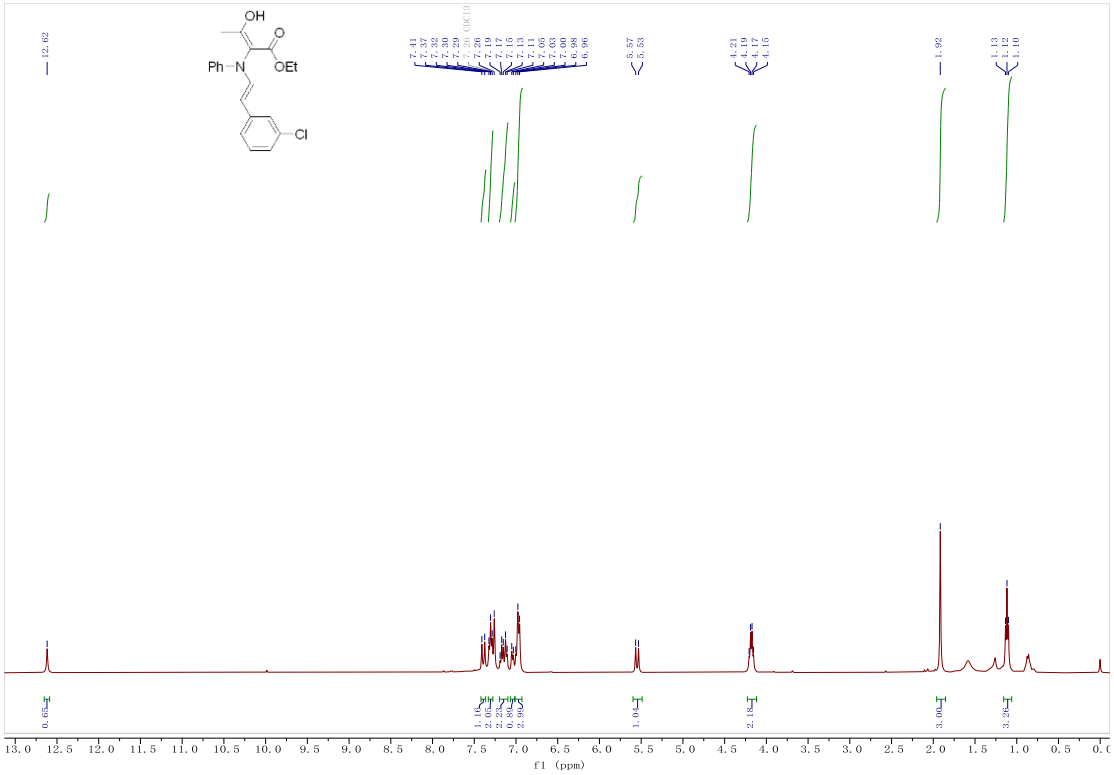

-118.23
OH
O
Ph–N
OEt
F
-30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220 -230 -240 -250
f1 (ppm)

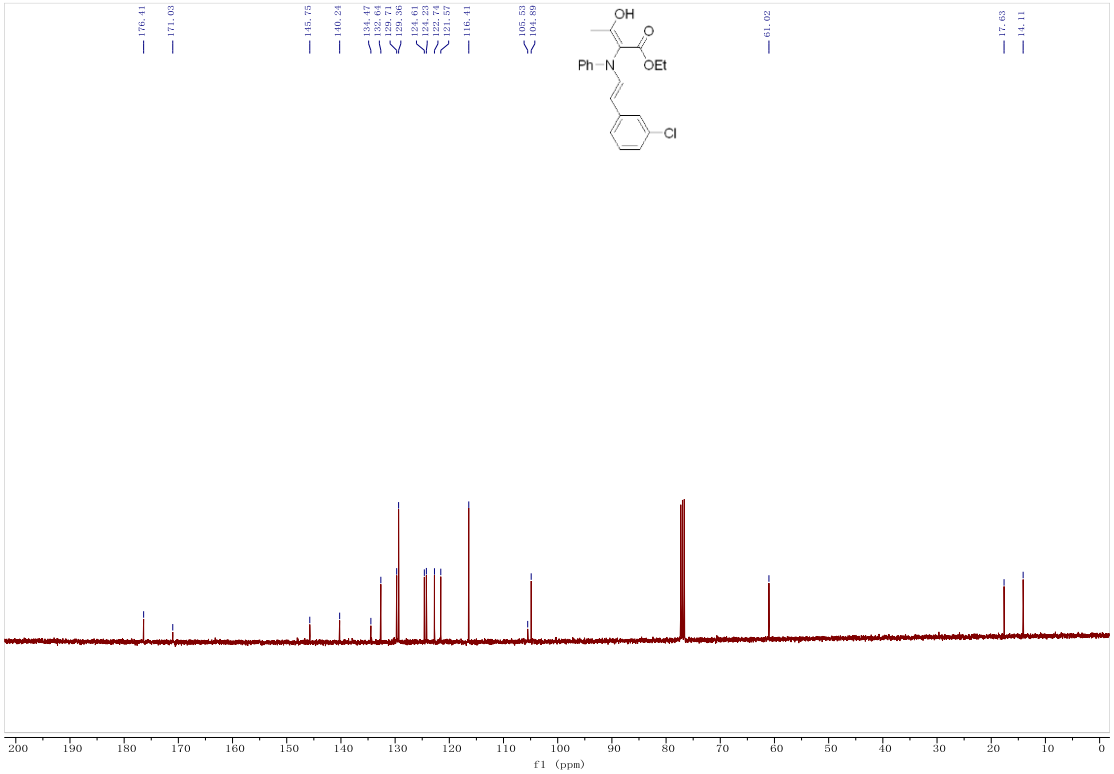
3ae


OH
O
Ph—N
OEt
Cl
12.65
7.46
7.44
7.36
7.33
7.31
7.28
7.26 CDCl3
7.19
7.17
7.15
7.03
7.01
6.99
6.98
6.97
6.96
6.93
4.24
4.22
4.20
4.18
4.16
4.13
4.12
1.91
1.16
1.14
1.13
0.68
1.07
4.13
1.15
4.18
0.81
2.23
3.00
3.17
f1 (ppm)

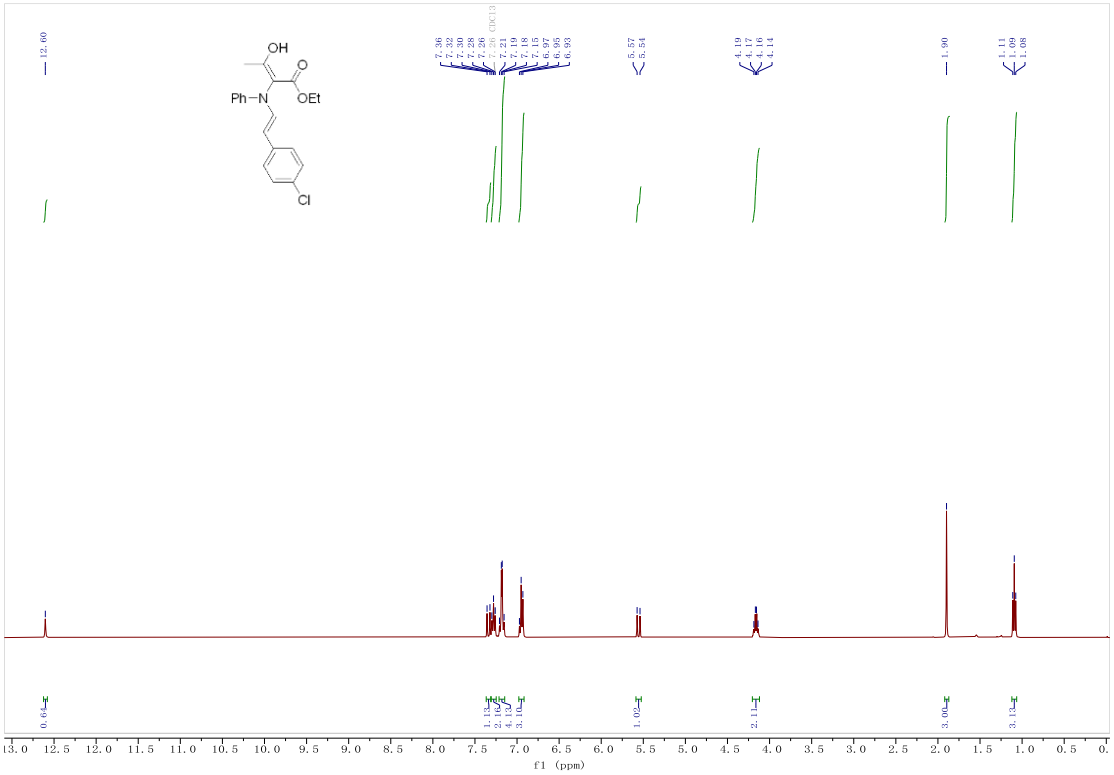

176.47
171.01
145.85
136.31
133.49
131.53
129.66
129.35
126.72
125.86
124.91
121.51
116.38
105.56
102.97
OH
O
Ph—N
OEt
Cl
61.01
17.62
14.15
f1 (ppm)

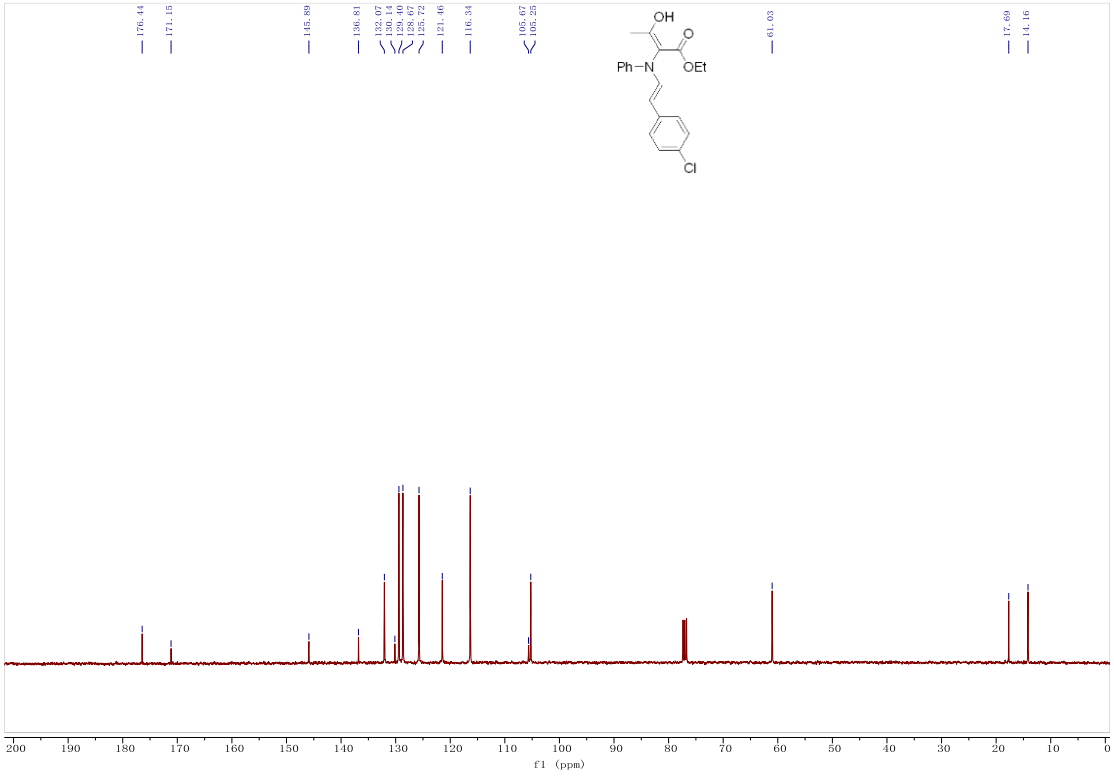
3af


OH
O
Ph
N
OEt
Cl
12.62
7.41
7.37
7.32
7.30
7.29
7.26 CDCl3
7.19
7.17
7.15
7.13
7.11
7.05
7.03
7.00
6.98
6.96
5.57
5.53
4.21
4.19
4.17
4.15
1.92
1.13
1.12
1.10
0.65
1.16
2.00
2.22
2.27
0.80
2.90
1.04
2.14
3.00
3.20
f1 (ppm)

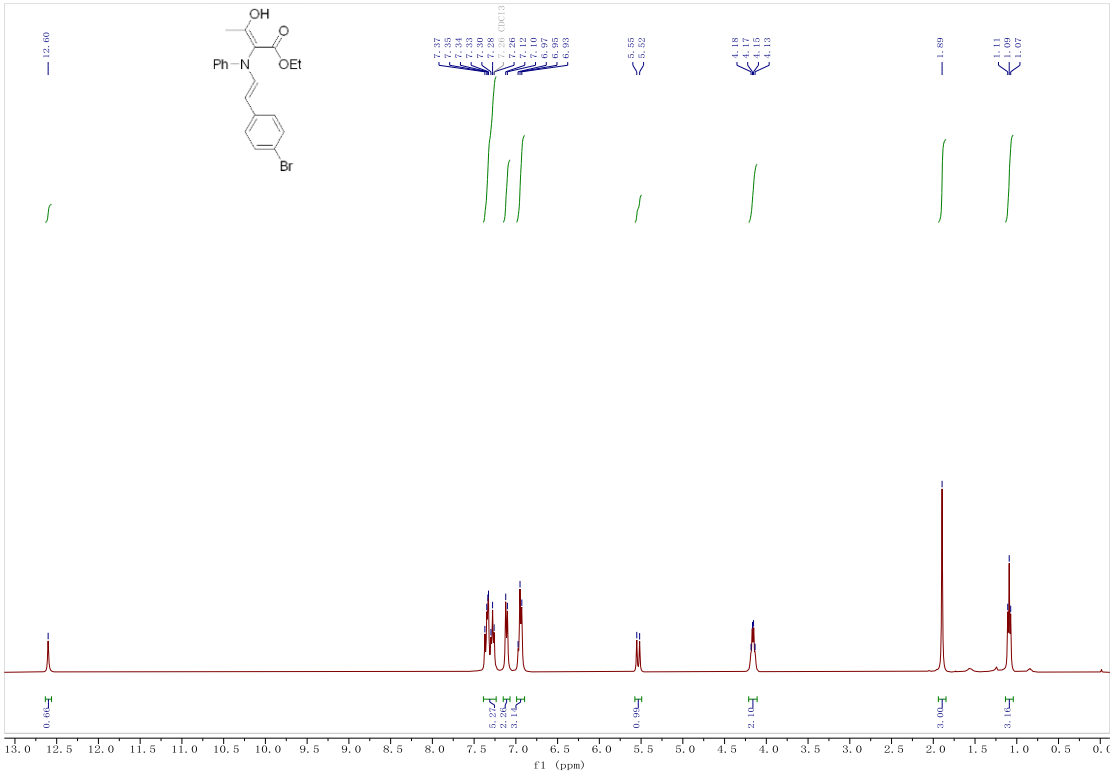

176.41
171.03
145.75
140.24
134.47
132.64
129.71
129.36
124.61
124.23
123.74
121.57
116.41
105.53
104.89
OH
O
Ph
N
OEt
Cl
61.02
17.63
14.11
f1 (ppm)

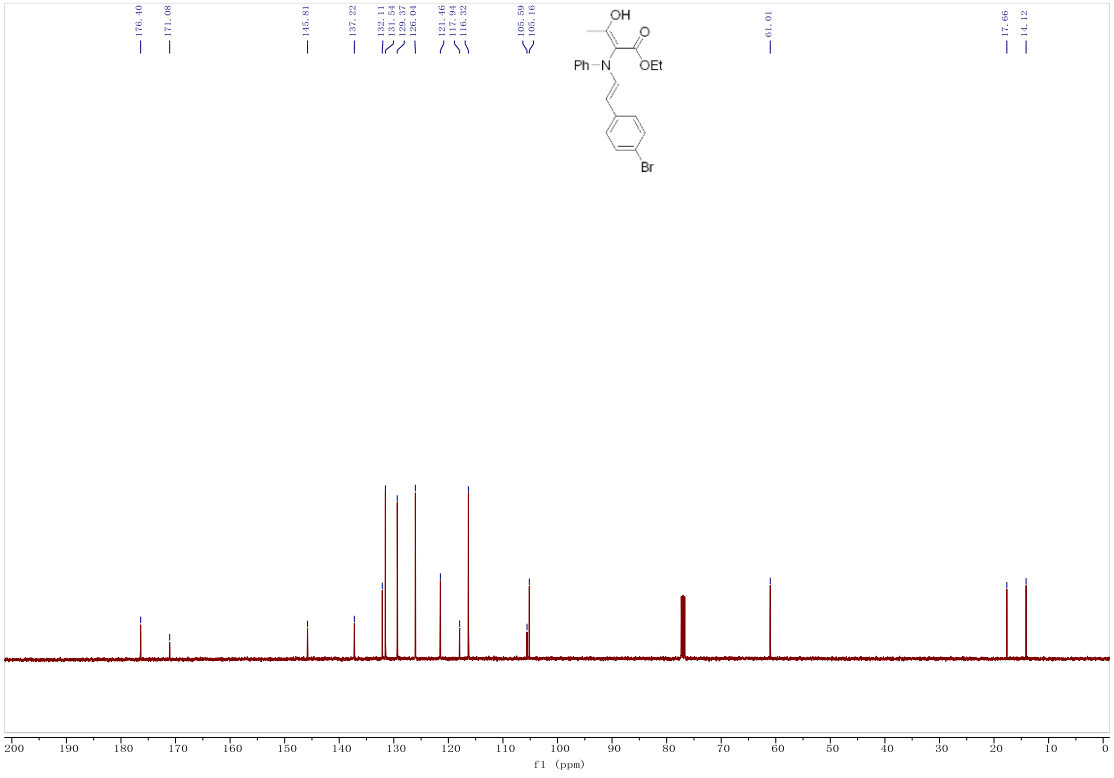
3ag



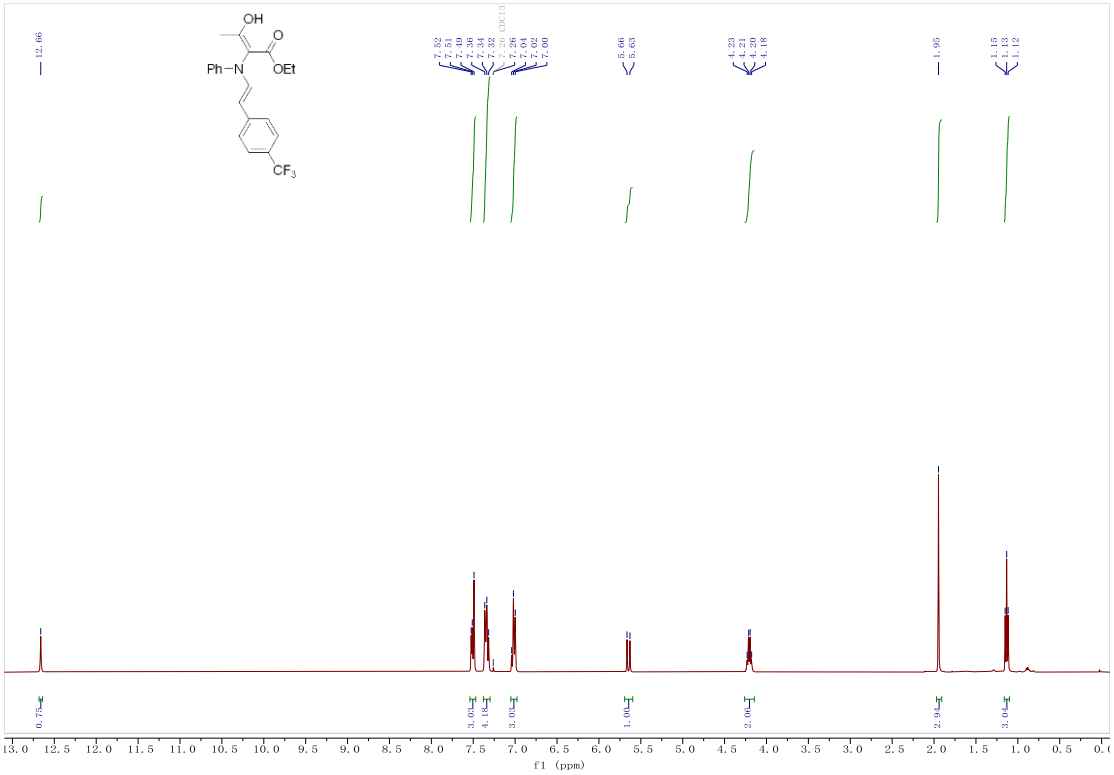


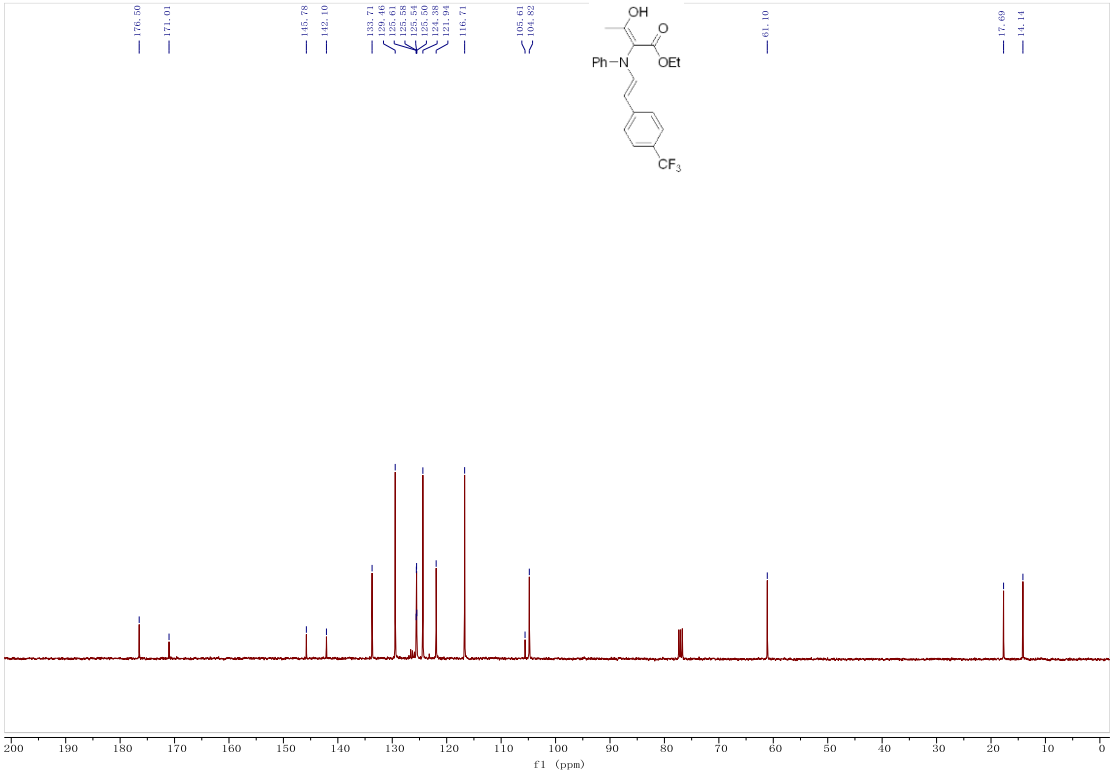
3ah


OH
O
Ph–N
OEt
Br
12.60
7.37
7.35
7.34
7.33
7.30
7.28
7.26
7.12
7.10
6.97
6.95
6.93
5.55
5.52
4.18
4.17
4.15
4.13
1.89
1.11
1.09
1.07
0.64
5.97
2.26
3.14
0.94
2.10
3.00
3.10
f1 (ppm)


176.40
171.08
145.81
137.22
132.11
131.54
129.37
126.04
121.46
117.94
116.32
105.59
105.16
61.01
17.66
14.12
OH
O
Ph–N
OEt
Br
f1 (ppm)

3ai


OH
O
Ph–N
OEt
CF3
12.66
7.52
7.51
7.49
7.36
7.34
7.32
7.26
7.04
7.02
7.00
5.66
5.63
4.23
4.21
4.20
4.18
1.95
1.15
1.13
1.12
f1 (ppm)


OH
O
Ph–N
OEt
CF3
176.50
171.01
145.78
142.10
133.71
129.46
128.61
125.54
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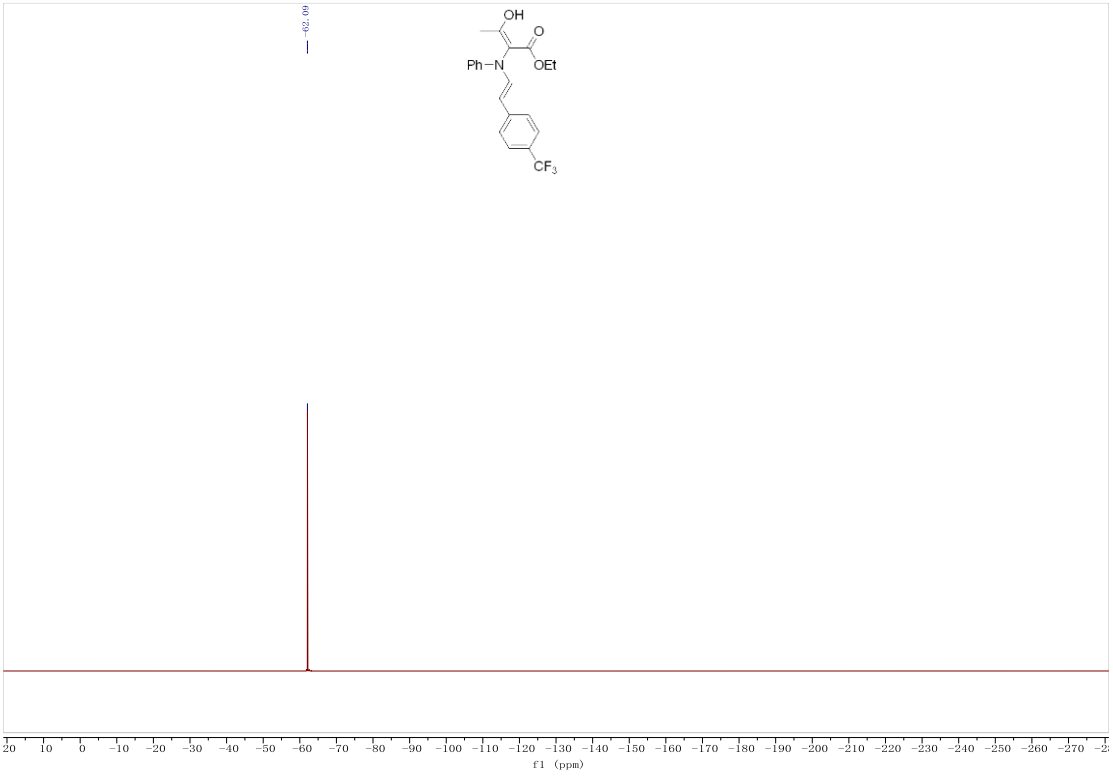
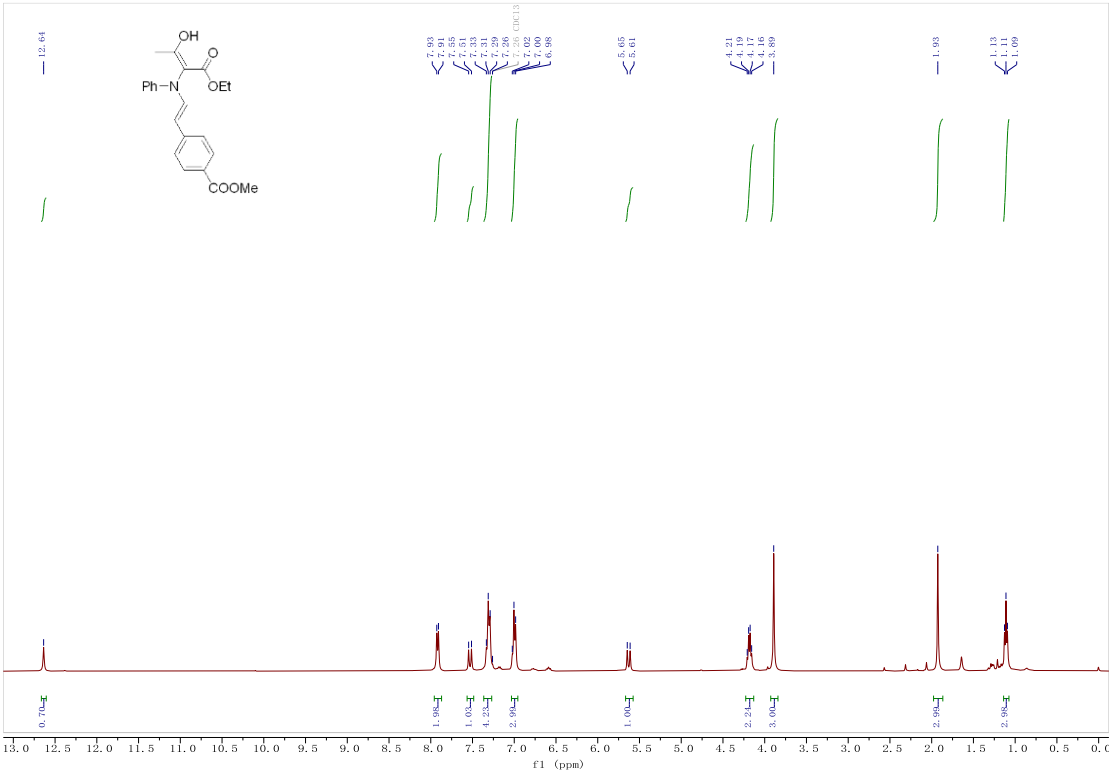
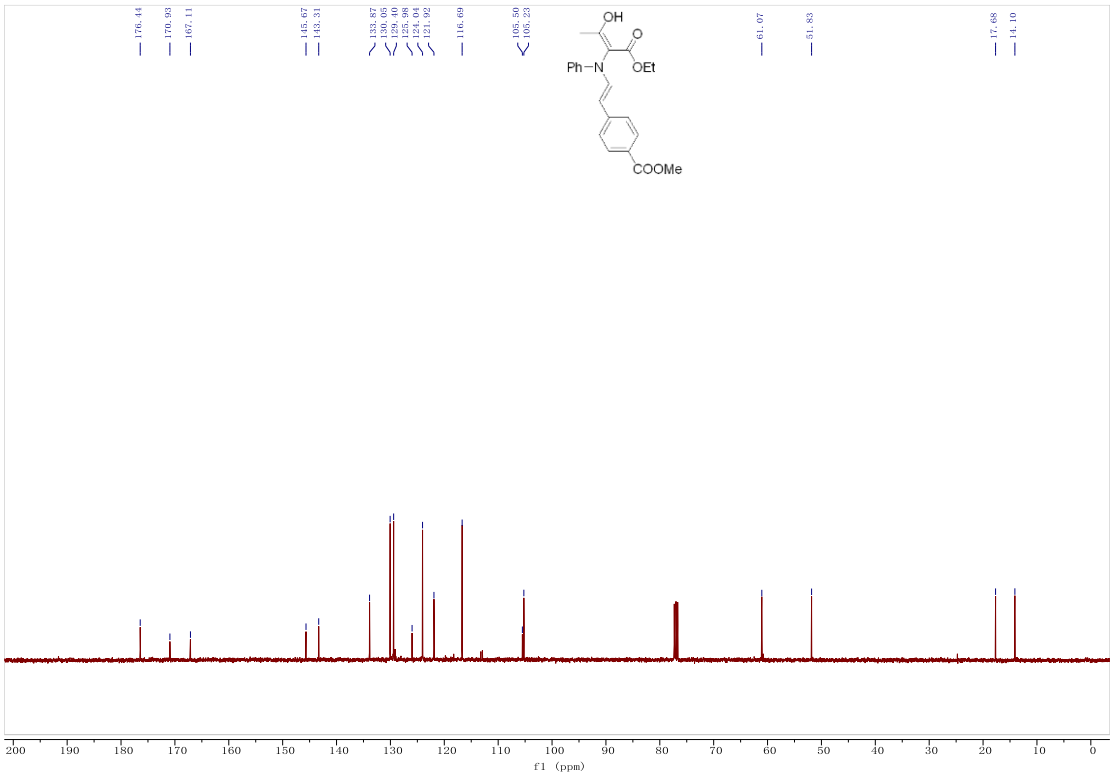
124.98
121.94
116.71
105.61
104.82
61.10
17.69
14.14
f1 (ppm)

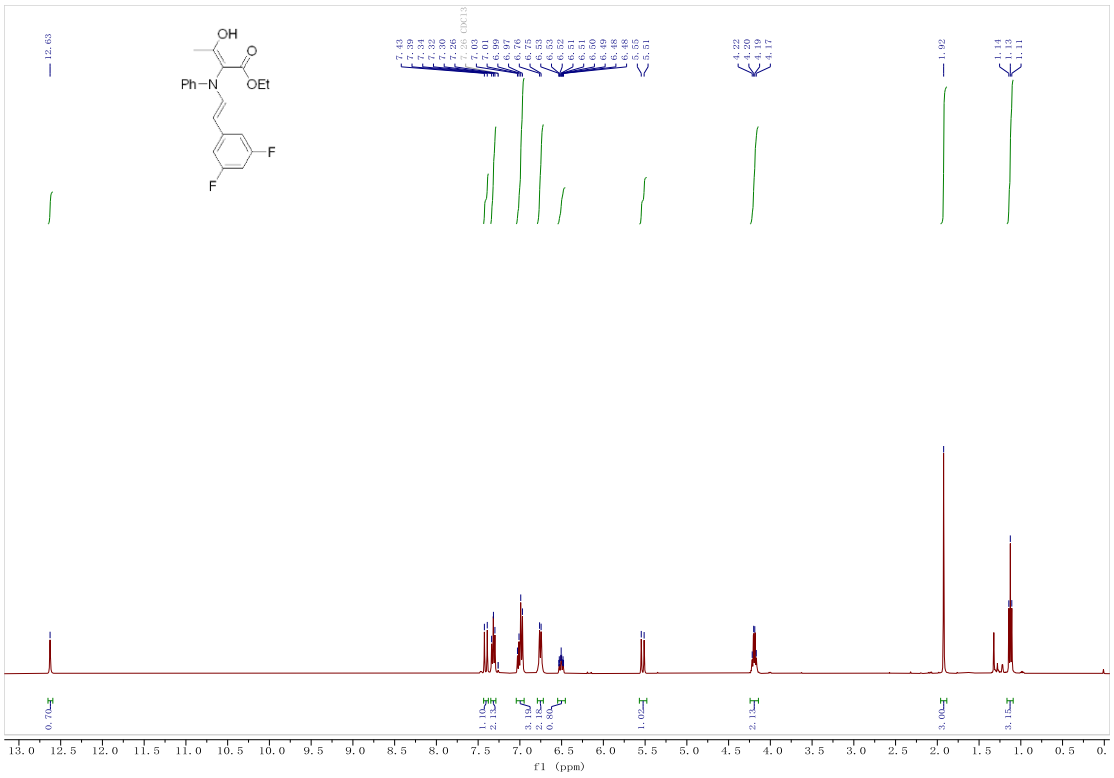

OH
O
Ph–N
OEt
CF3
-62.09
f1 (ppm)

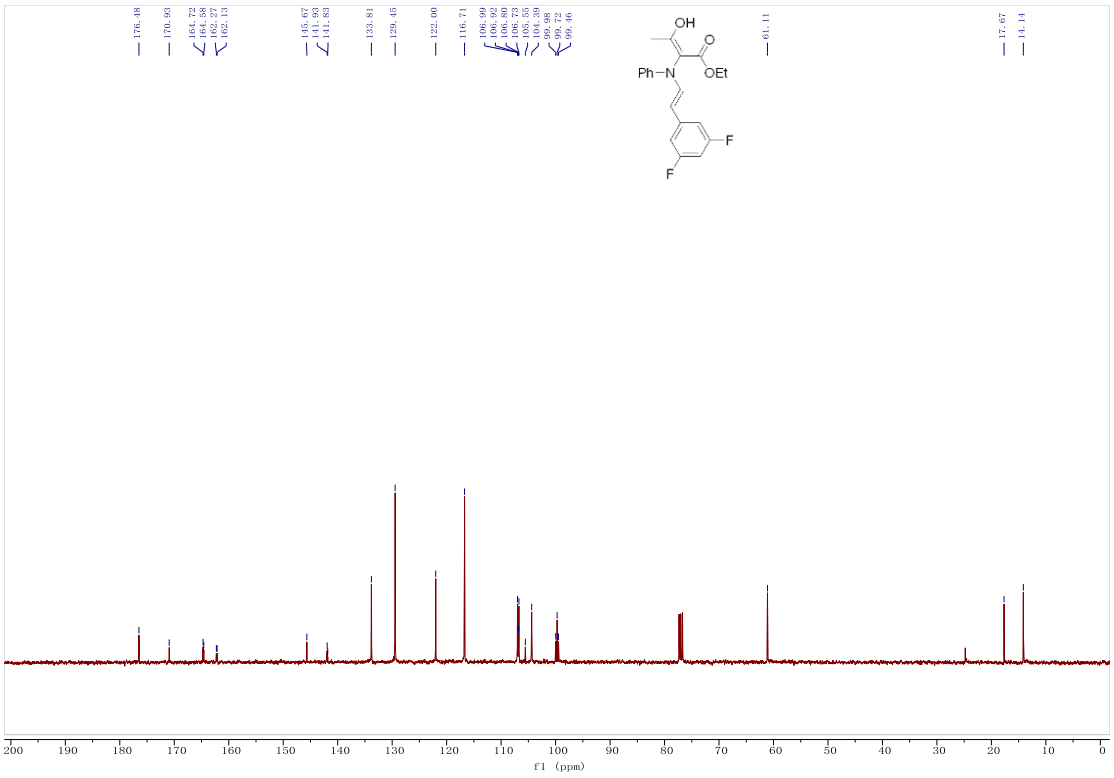
3aj

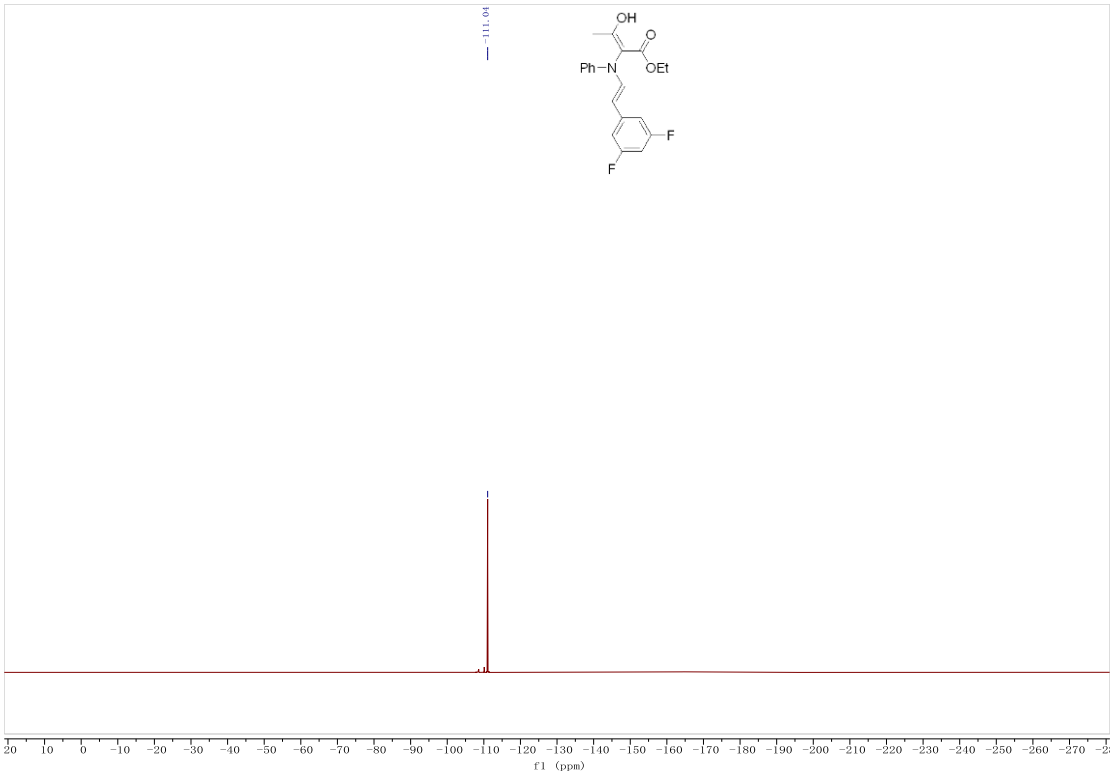

OH
O
Ph–N
OEt
COOMe
12.64
7.93
7.91
7.55
7.51
7.33
7.31
7.29
7.26
7.02
7.00
6.98
5.65
5.61
4.21
4.19
4.17
4.16
3.89
1.93
1.13
1.11
1.09
f1 (ppm)



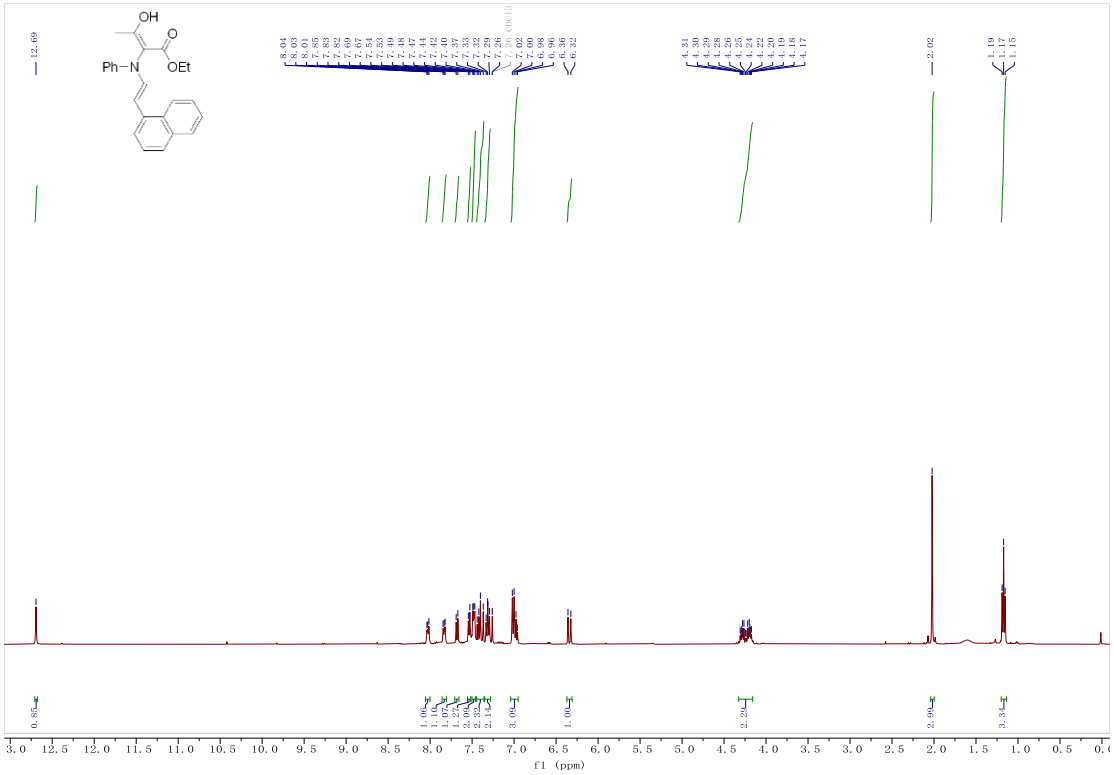
3ak

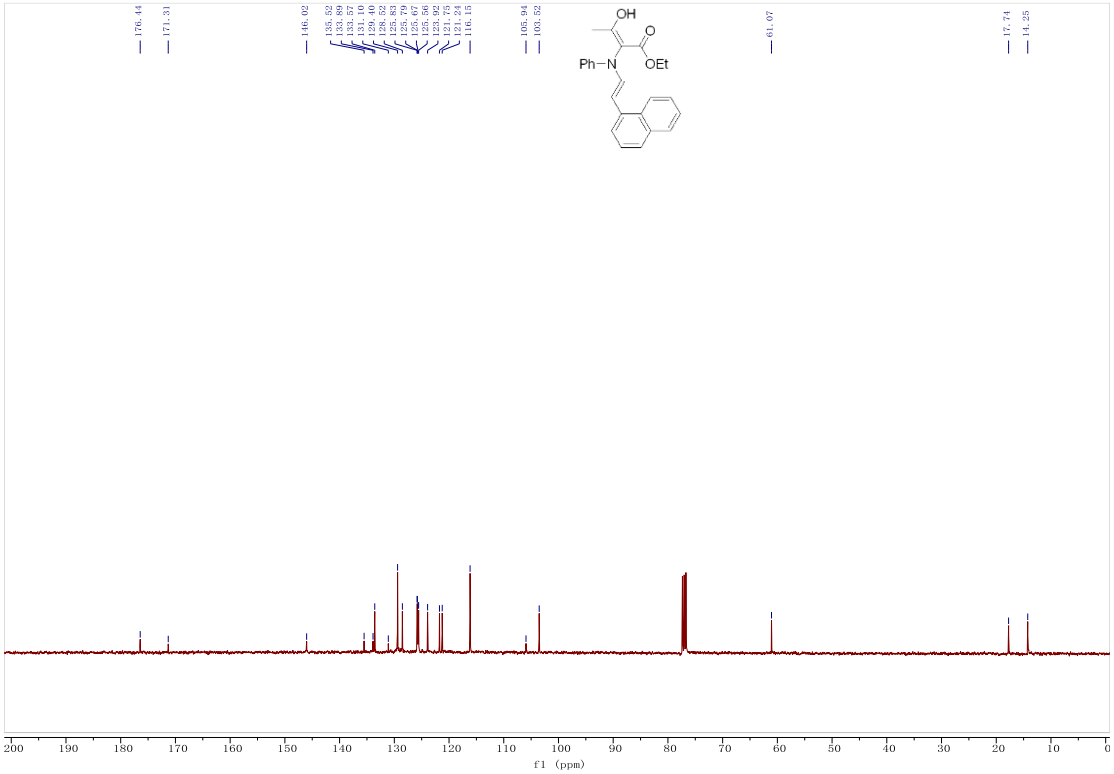



176.48
170.93
164.72
164.58
162.27
162.13
145.67
141.93
141.83
133.81
129.45
122.00
118.71
106.99
106.92
106.86
106.73
105.55
104.39
99.86
99.72
99.46
61.11
17.67
14.14
OH
O
Ph–N
OEt
F
F
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

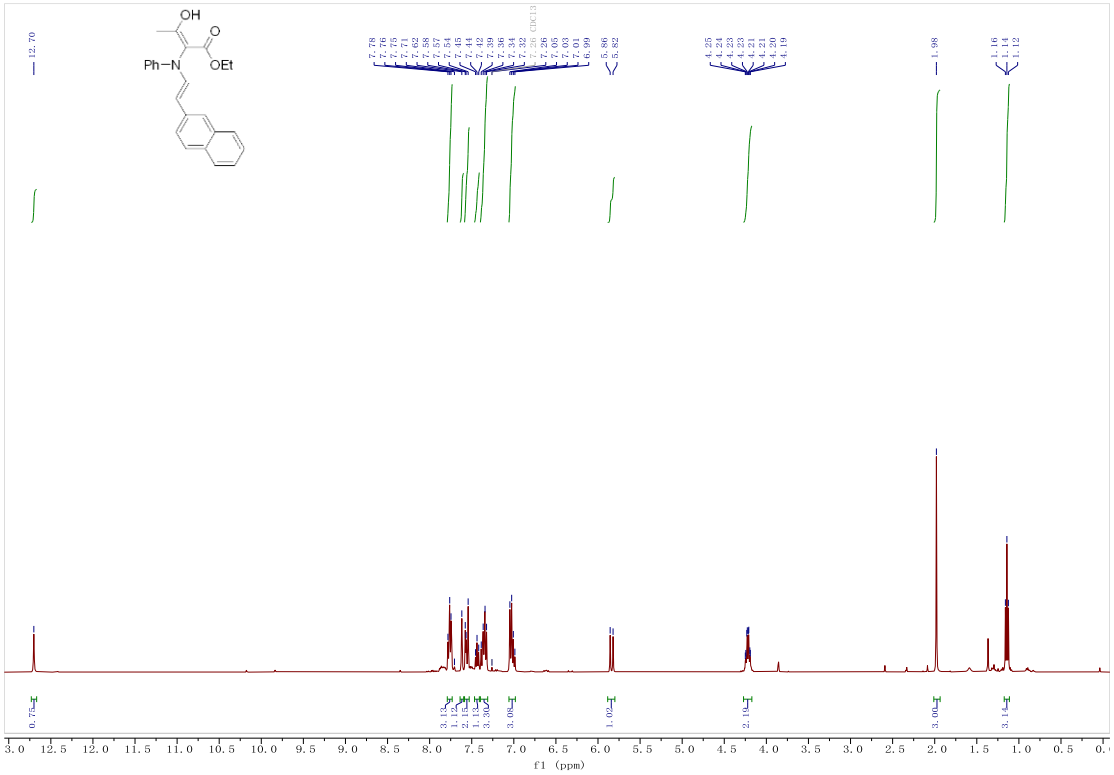

-111.04
OH
O
Ph–N
OEt
F
F
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220 -230 -240 -250 -260 -270
f1 (ppm)

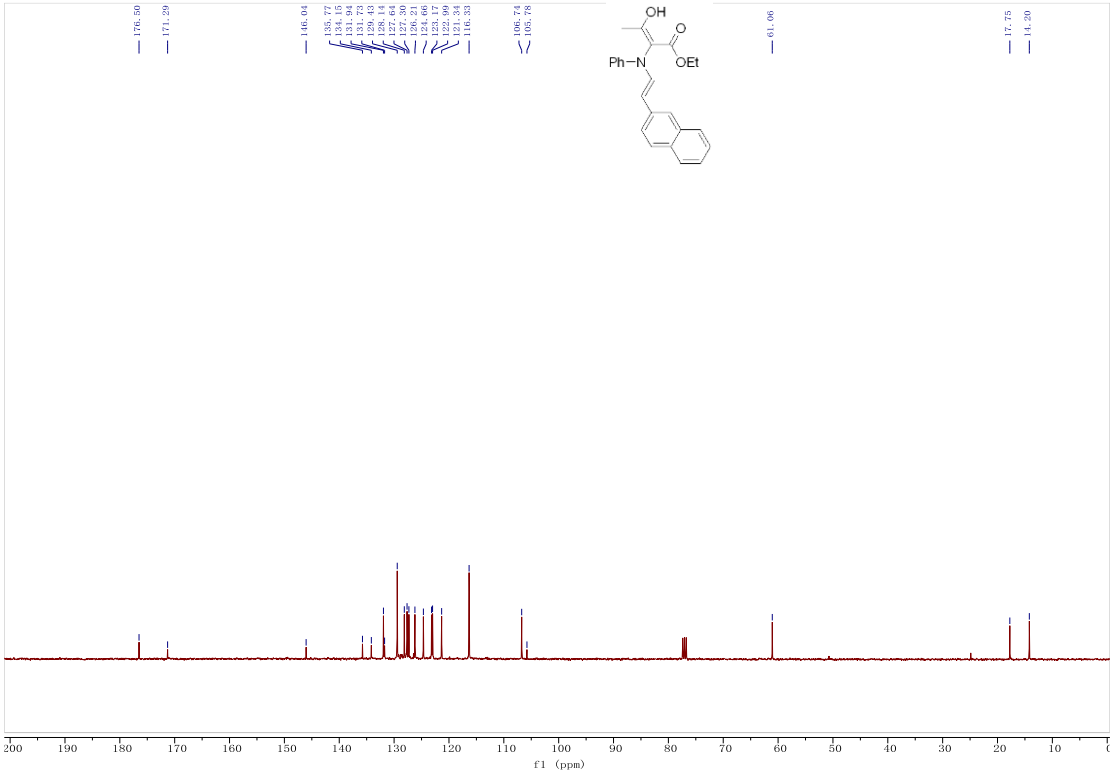
3al



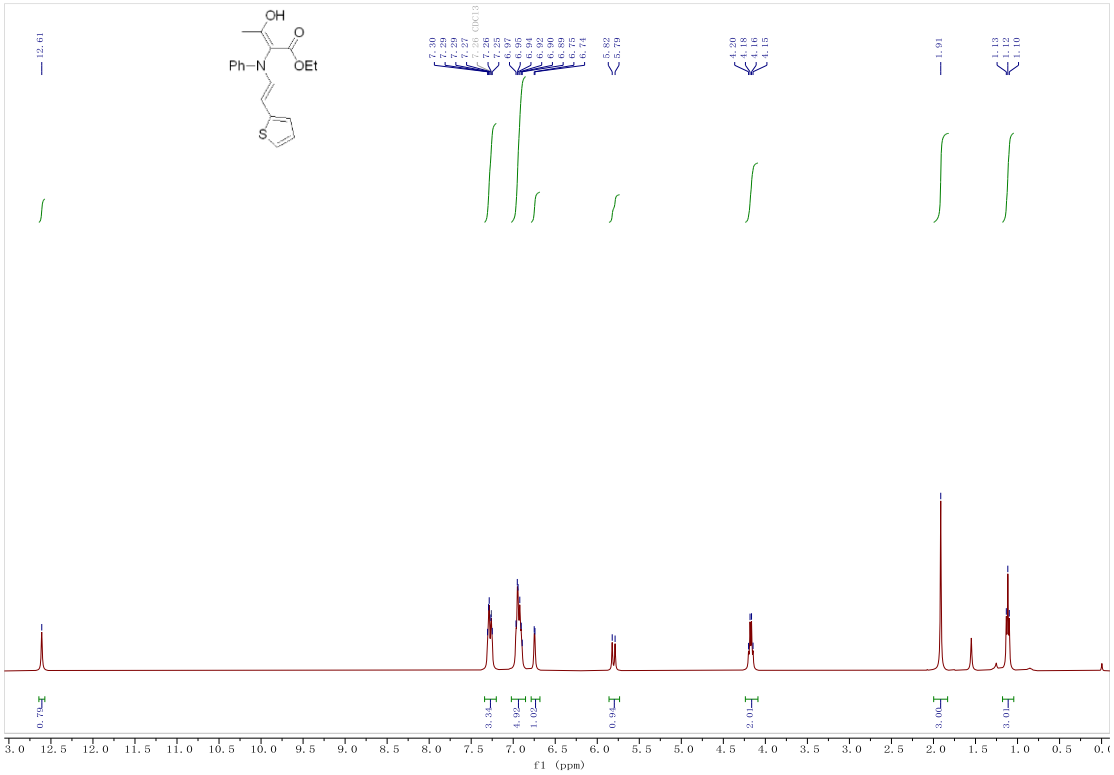


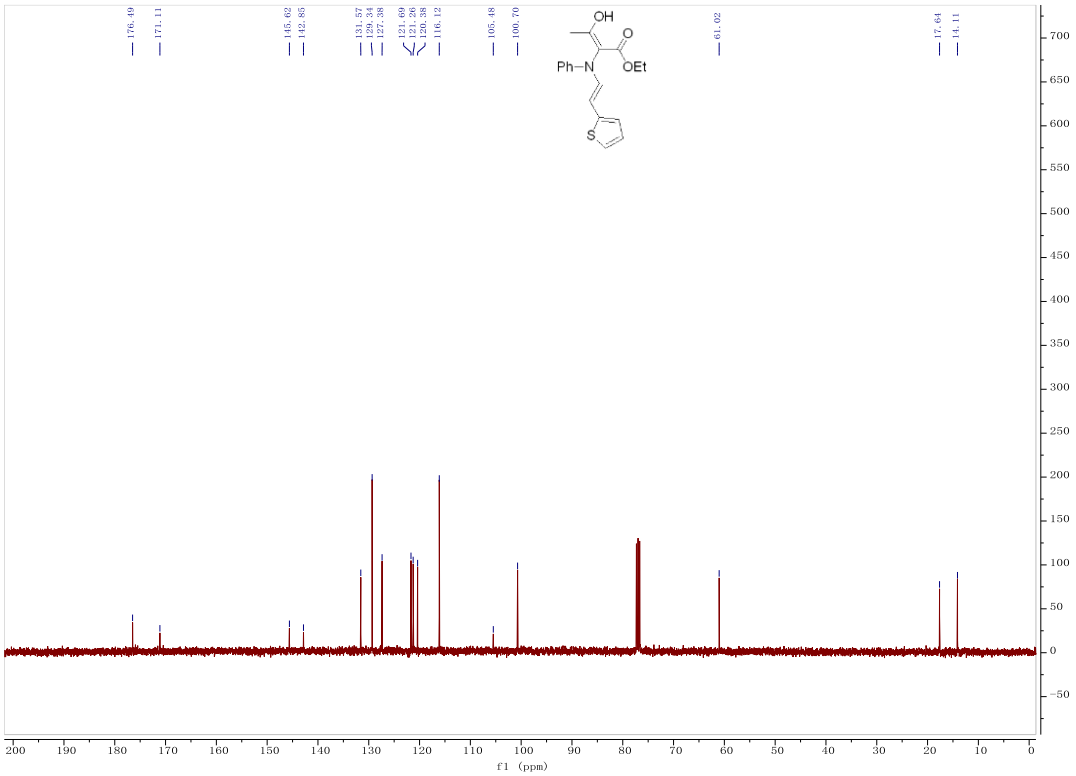
3am



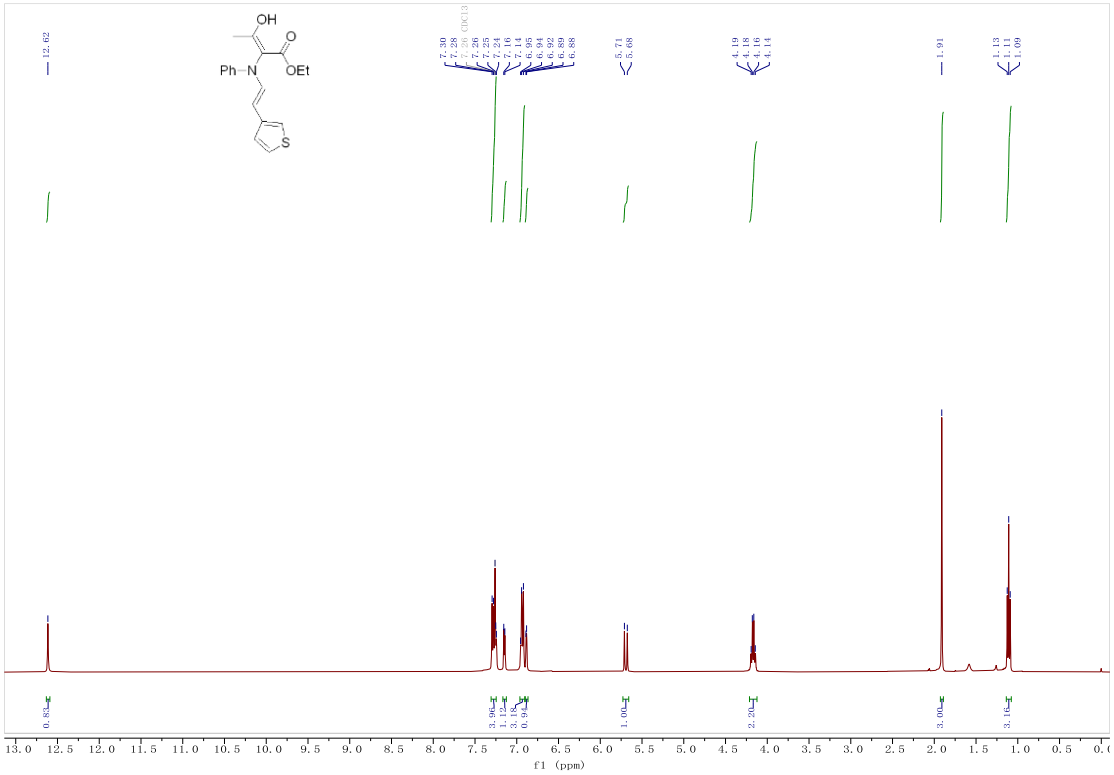


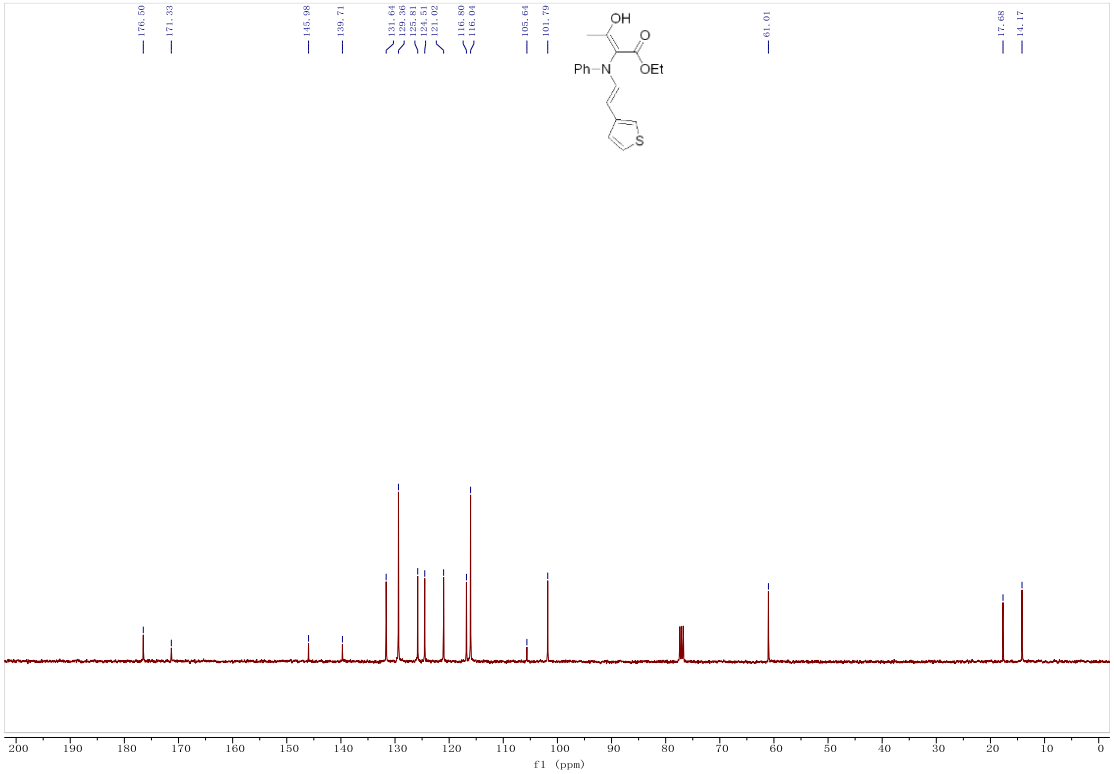
3an


OH
O
Ph–N
OEt
S
12.61
7.30
7.29
7.29
7.27
7.26
7.25
6.97
6.95
6.94
6.92
6.90
6.89
6.75
6.74
5.82
5.79
4.20
4.18
4.16
4.15
1.91
1.13
1.12
1.10
0.79
3.34
4.99
1.03
0.94
2.04
3.00
3.01
f1 (ppm)

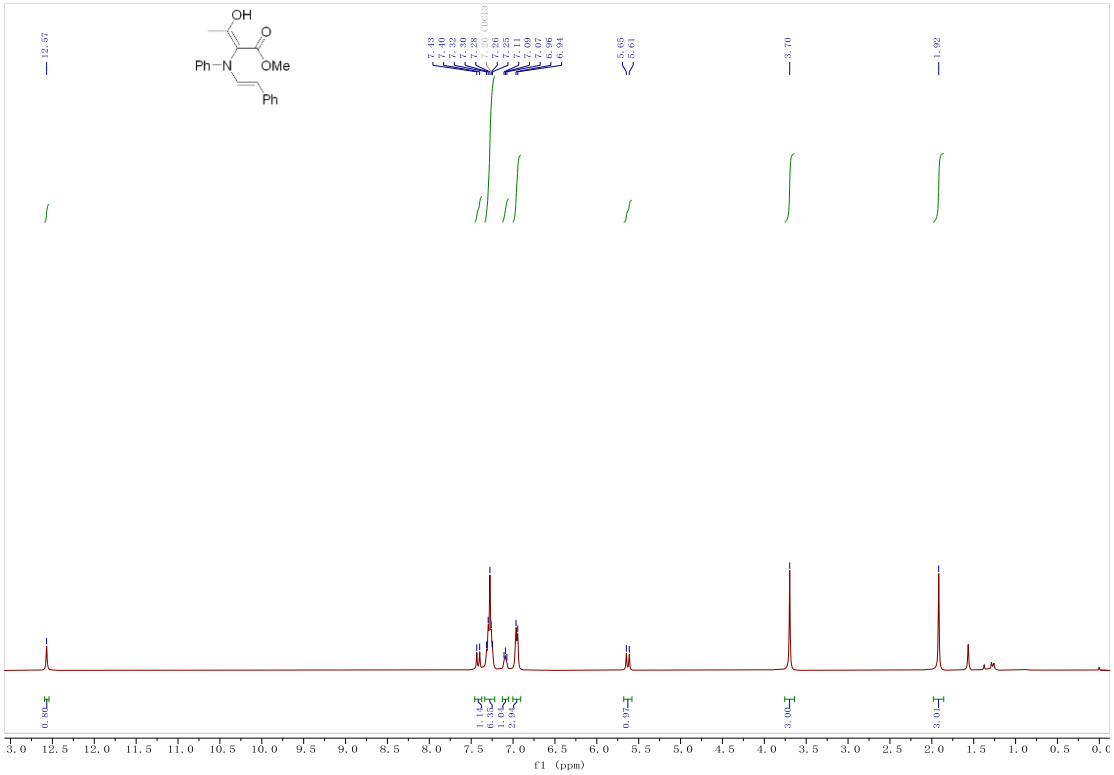

176.49
171.11
145.62
142.85
131.57
129.34
127.38
121.69
121.26
120.38
116.12
105.48
100.70
61.02
17.64
14.11
OH
O
Ph–N
OEt
S
f1 (ppm)

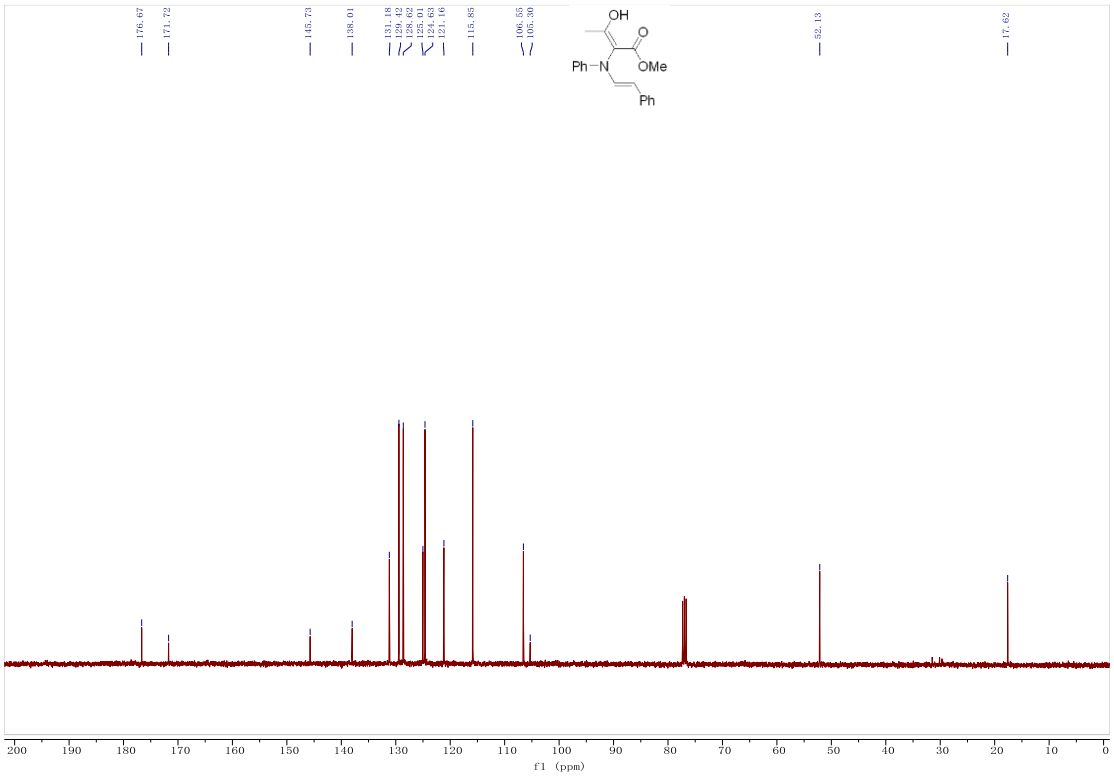
3ao


OH
O
Ph—N
OEt
S
12.62
7.30
7.28
7.26
7.25
7.24
7.16
7.14
6.95
6.94
6.92
6.89
6.88
5.71
5.68
4.19
4.18
4.16
4.14
1.91
1.13
1.11
1.09
0.85
3.98
1.13
3.13
0.94
1.04
2.20
3.00
3.16
f1 (ppm)

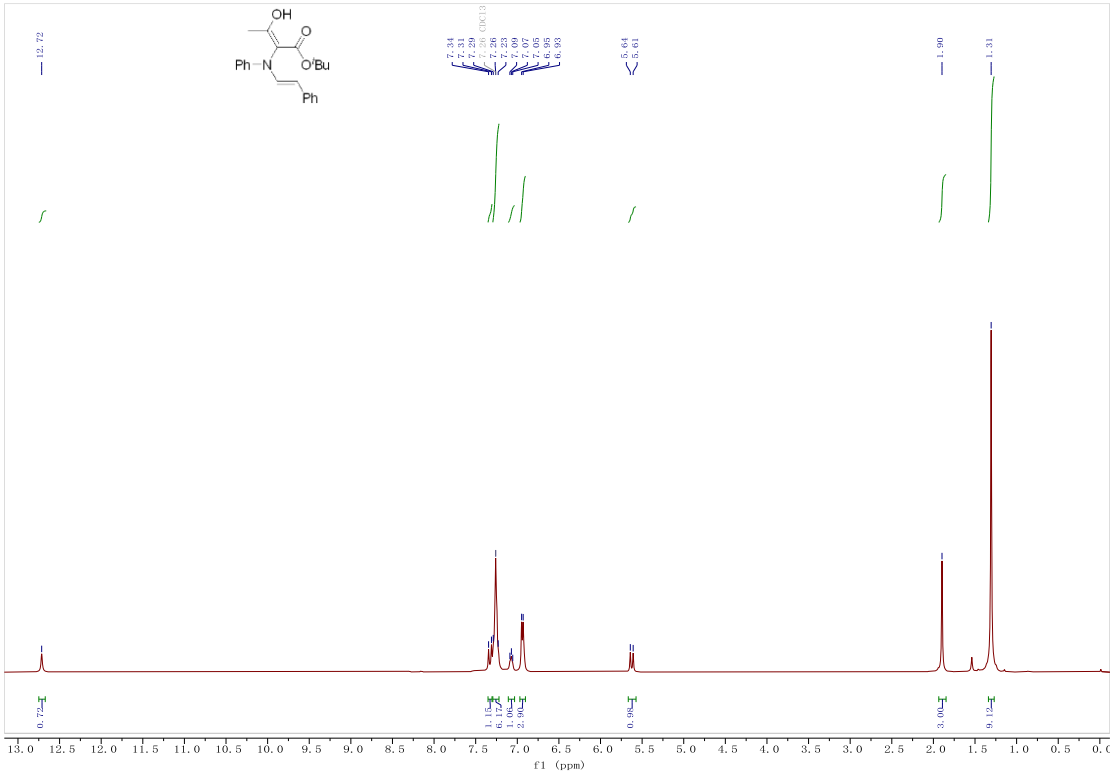

OH
O
Ph—N
OEt
S
176.50
171.33
145.98
139.71
131.64
129.36
125.81
124.51
121.02
116.80
116.04
105.64
101.79
61.01
17.68
14.17
f1 (ppm)

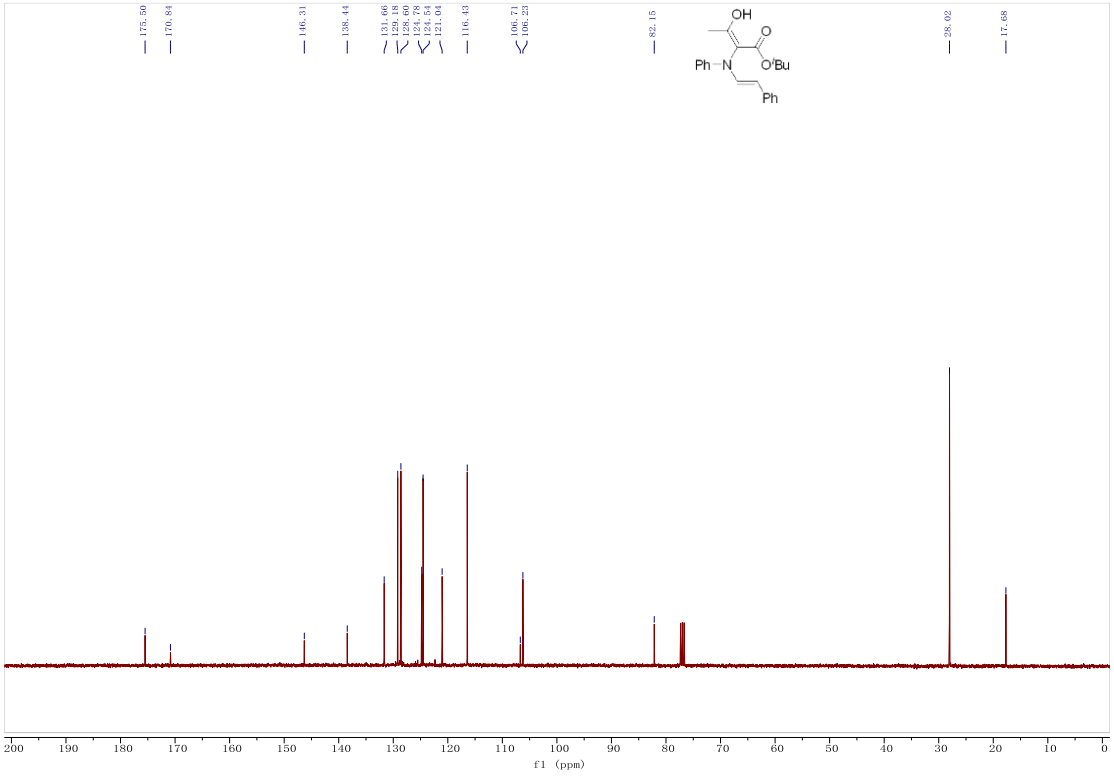
3ba


OH
O
Ph–N
OMe
Ph
12.57
7.43
7.40
7.32
7.30
7.28
7.26
7.25
7.11
7.09
7.07
6.96
6.94
5.65
5.61
3.70
1.92
0.80
1.13
6.33
1.04
2.94
0.97
3.00
3.01
f1 (ppm)

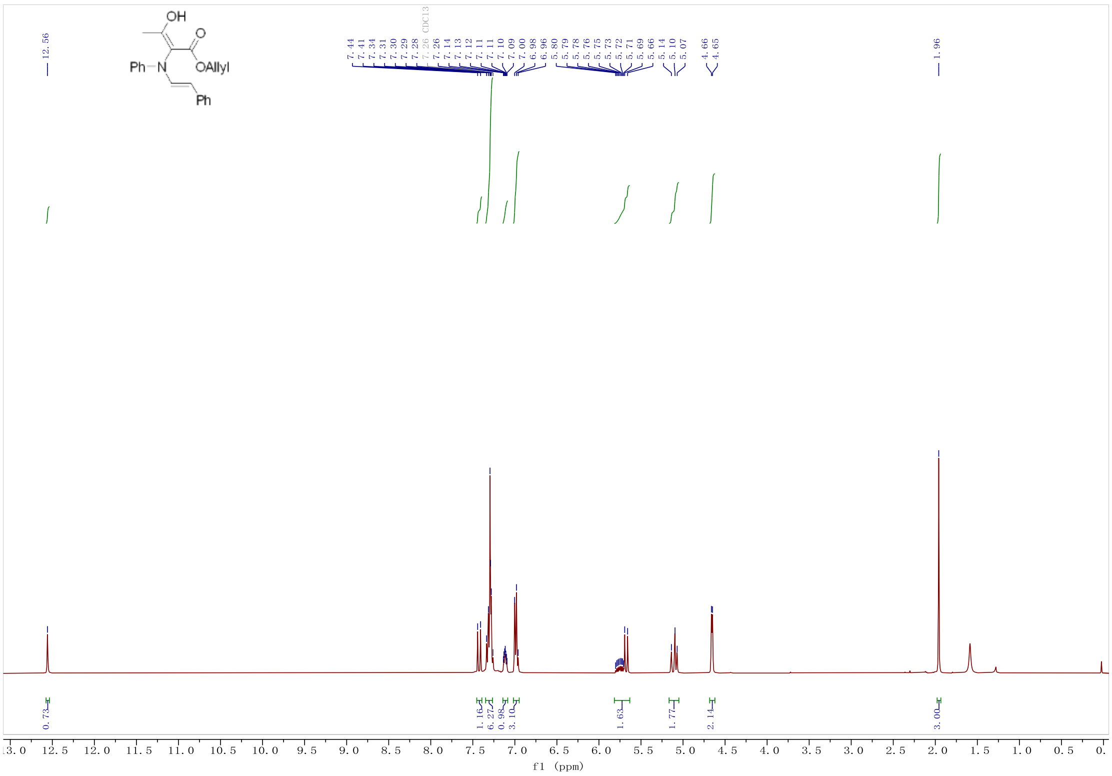

OH
O
Ph–N
OMe
Ph
176.67
171.72
145.73
138.01
131.18
129.42
128.62
125.01
124.63
121.16
115.85
106.55
105.30
52.13
17.62
f1 (ppm)

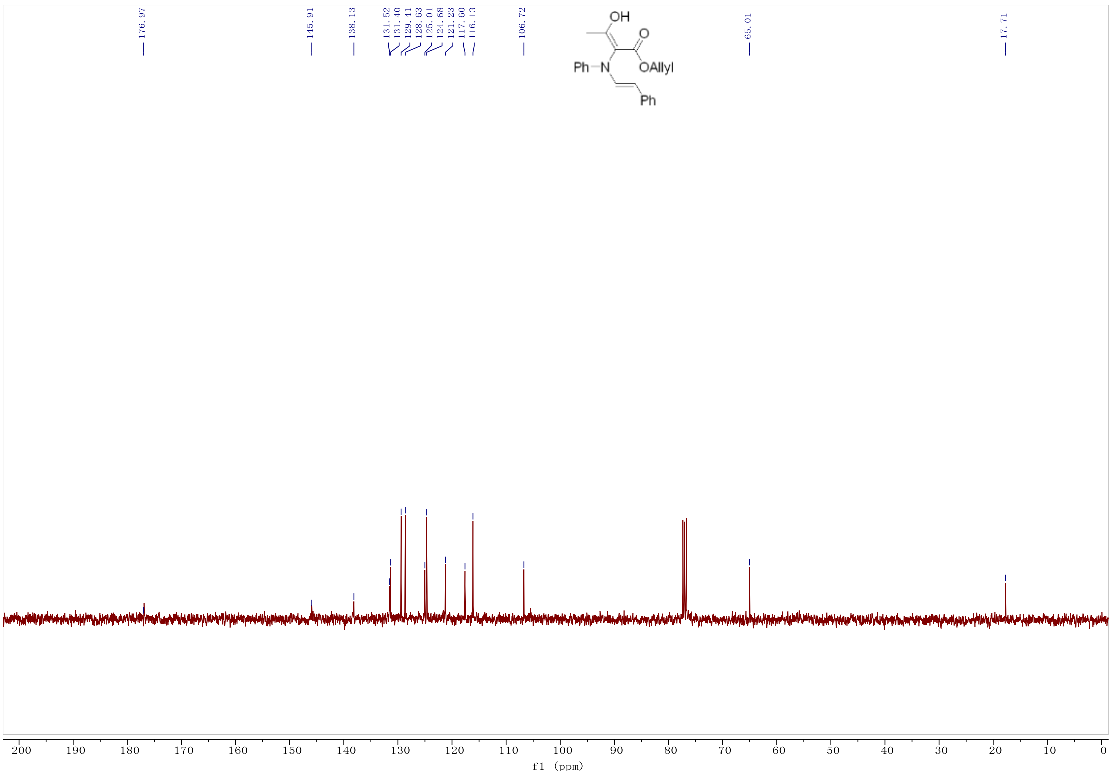
3ca


OH
O
Ph
N
O'Bu
Ph
12.72
7.34
7.31
7.29
7.28
7.26
7.23
7.09
7.07
7.05
6.95
6.93
5.64
5.61
1.90
1.31
0.72
1.15
6.17
1.04
2.96
0.98
3.00
9.12
f1 (ppm)


175.50
170.84
146.31
138.44
131.66
129.18
128.60
124.78
124.54
121.04
116.43
106.71
106.23
82.15
28.02
17.68
OH
O
Ph
N
O'Bu
Ph
f1 (ppm)

3da


12.56
7.44
7.41
7.34
7.31
7.30
7.29
7.28
7.26
7.14
7.13
7.12
7.11
7.11
7.10
7.09
7.00
6.98
6.96
5.80
5.79
5.78
5.76
5.75
5.73
5.72
5.71
5.69
5.66
5.14
5.10
5.07
4.66
4.65
1.96
OH
O
Ph
N
OAllyl
Ph
f1 (ppm)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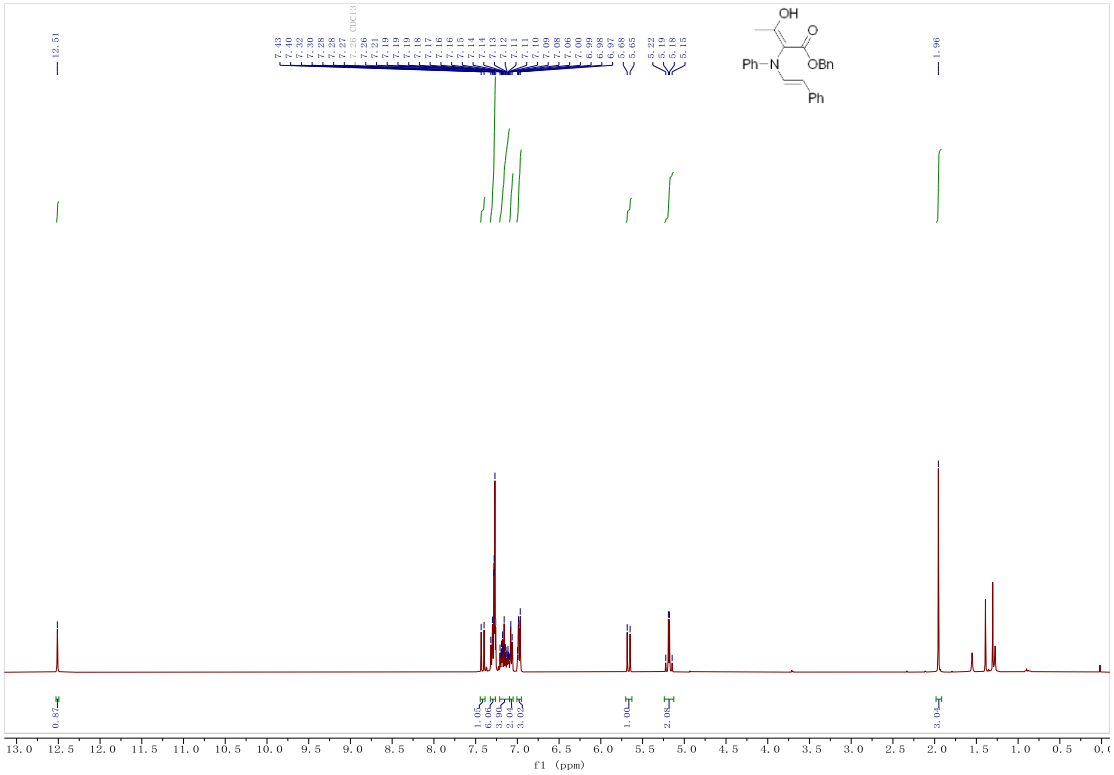
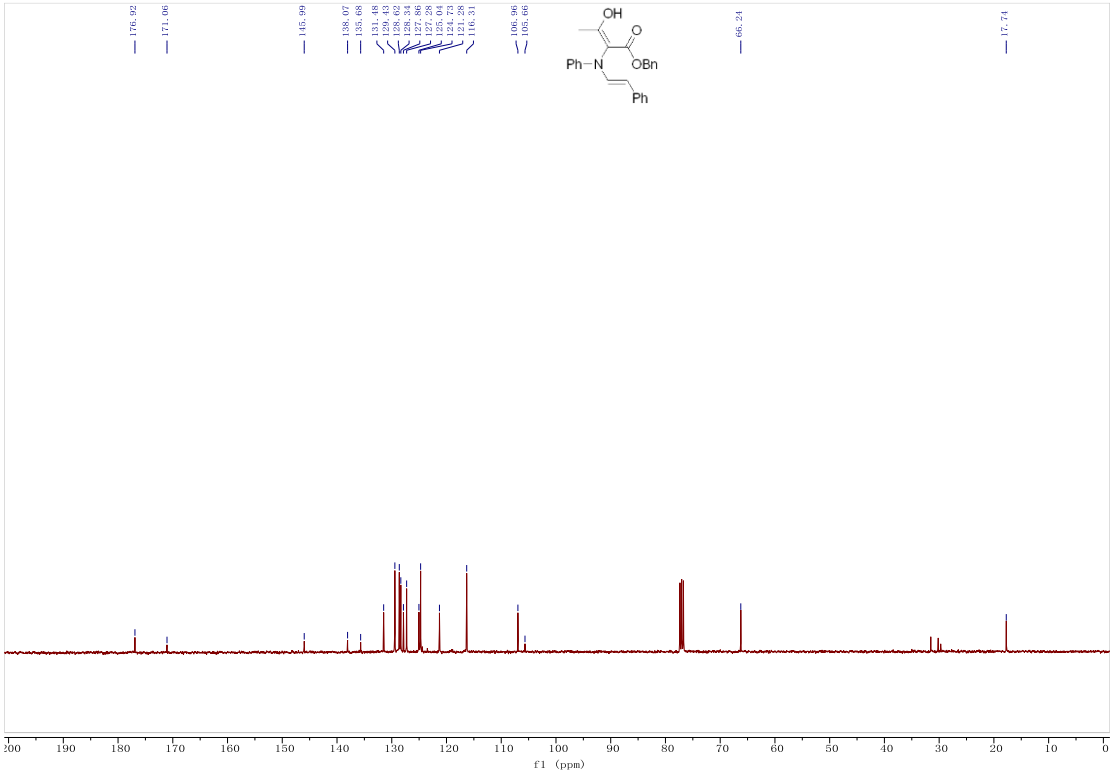
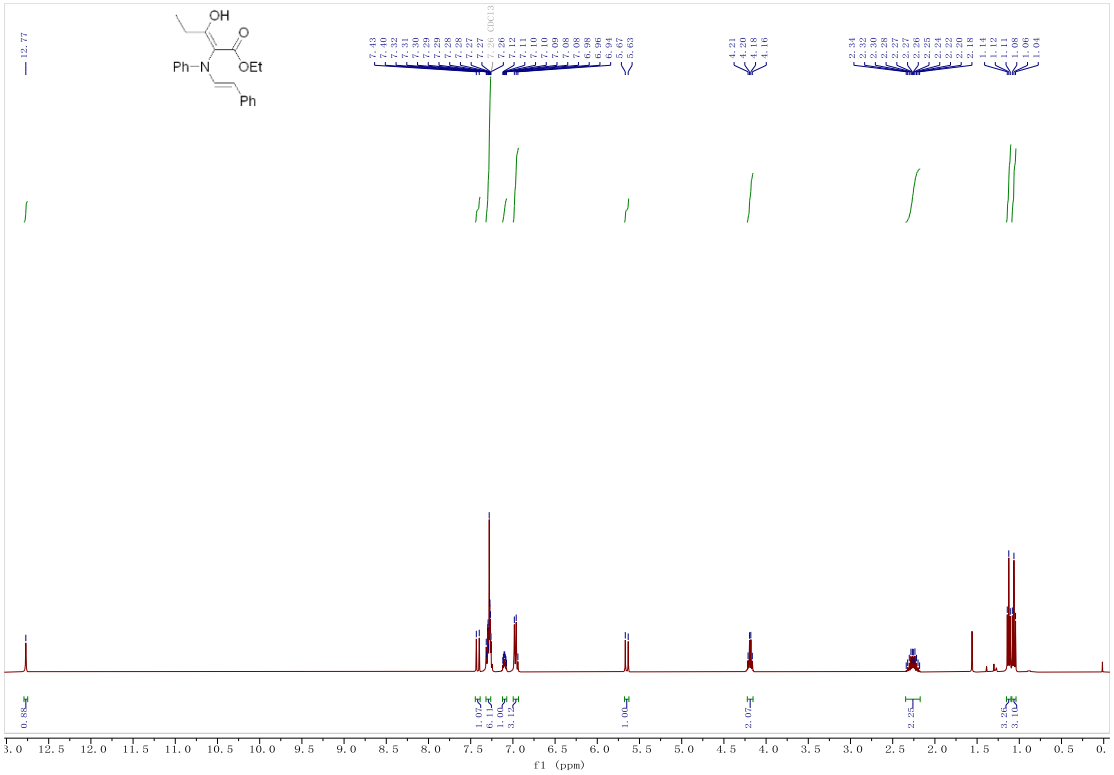
145.91
138.13
131.52
131.40
129.41
128.63
125.01
124.68
121.23
117.60
116.13
106.72
65.01
17.71
OH
O
Ph
N
OAllyl
Ph
f1 (ppm)

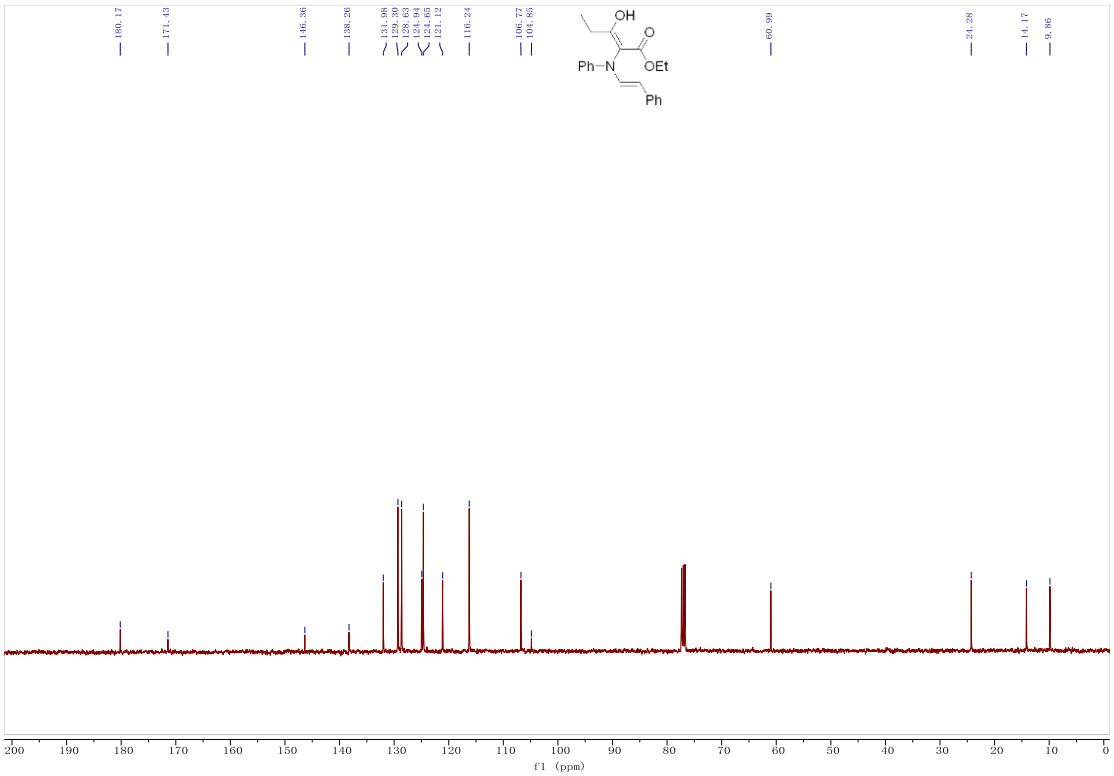
3ea



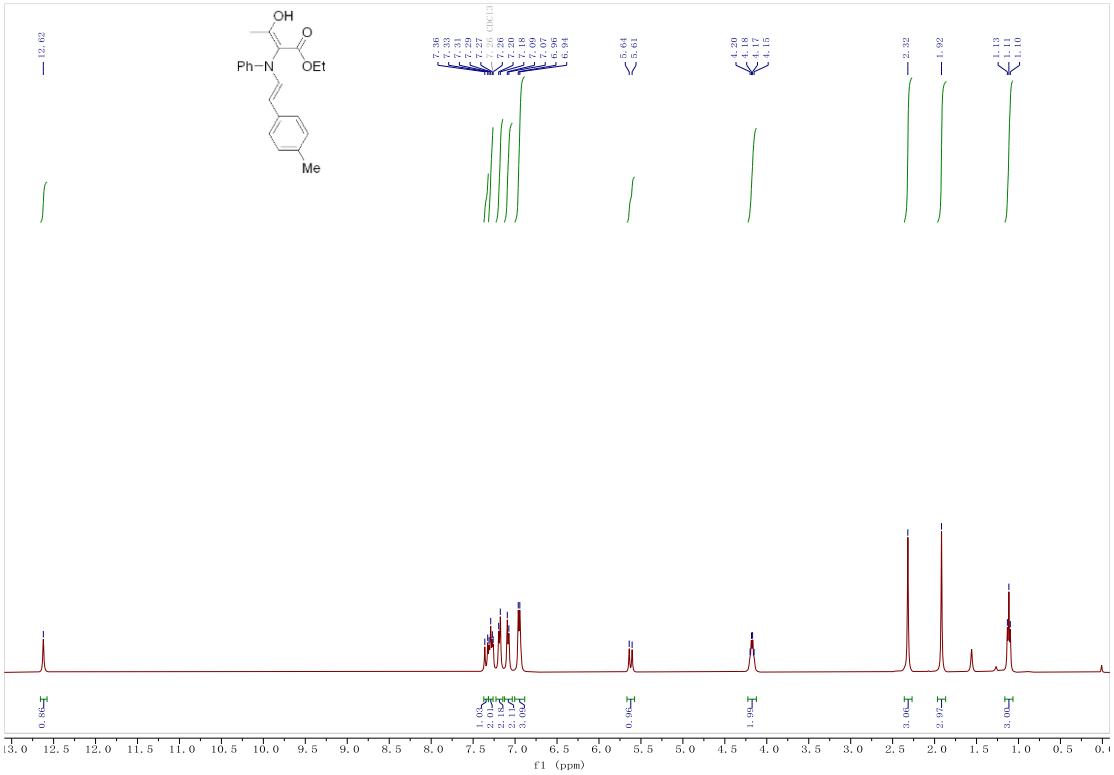


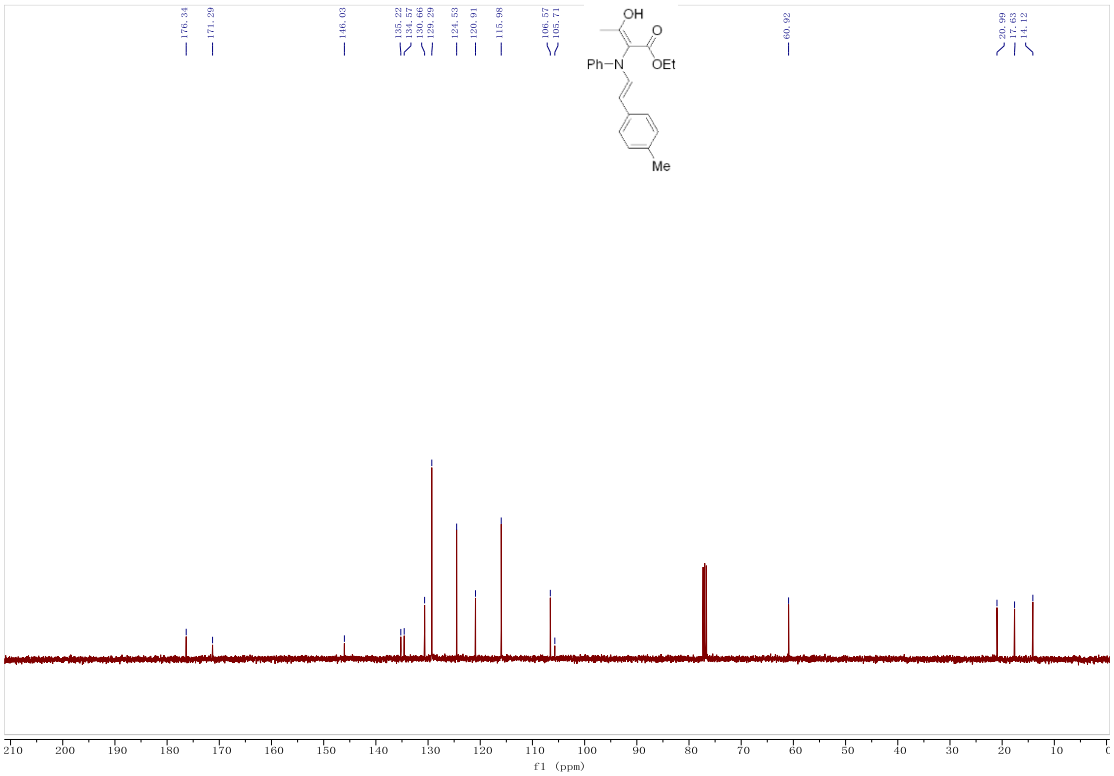
3fa



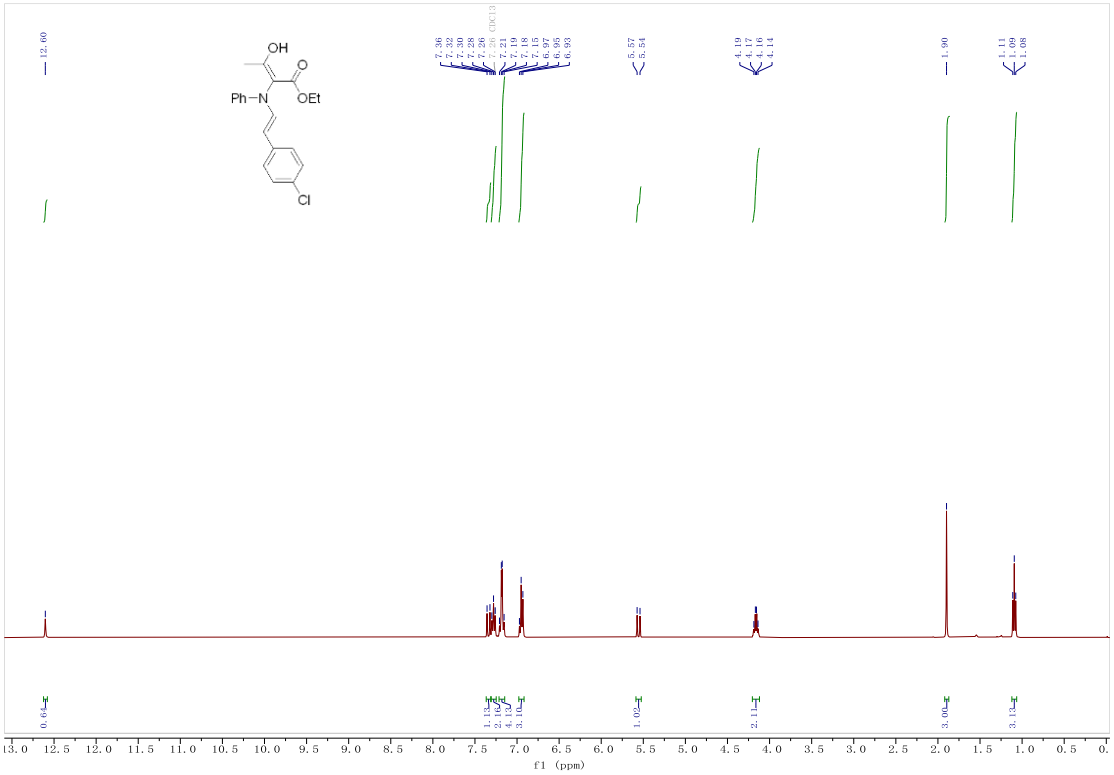


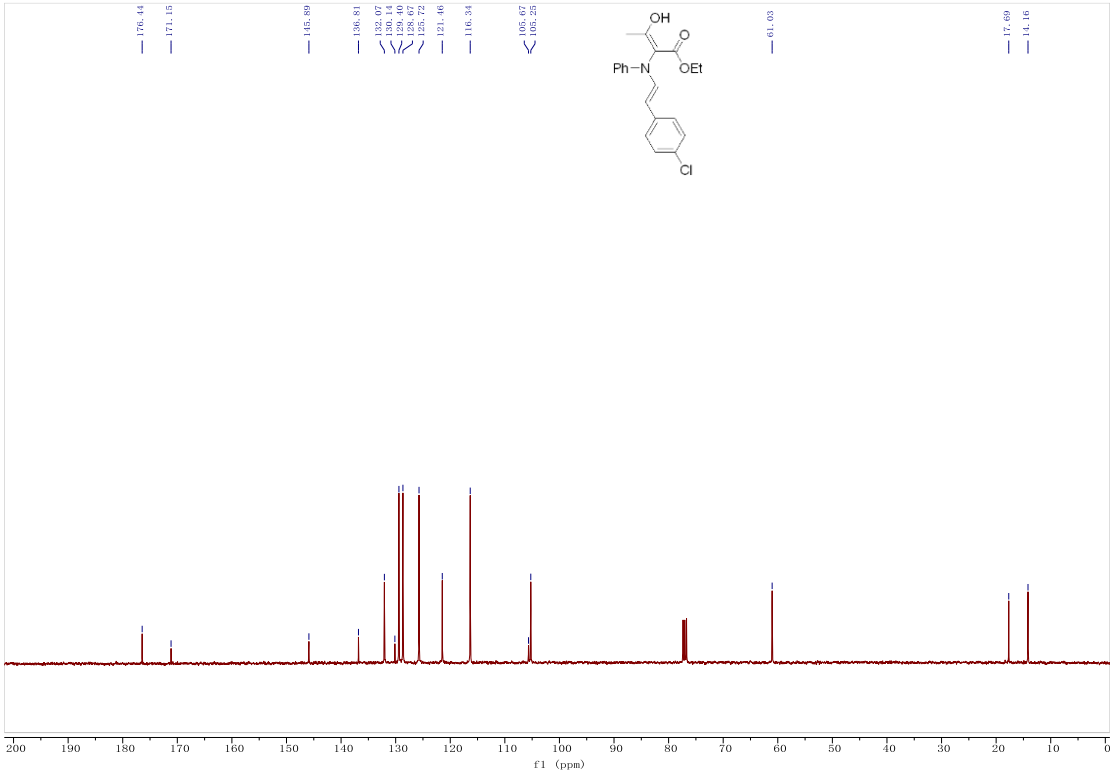
3ga



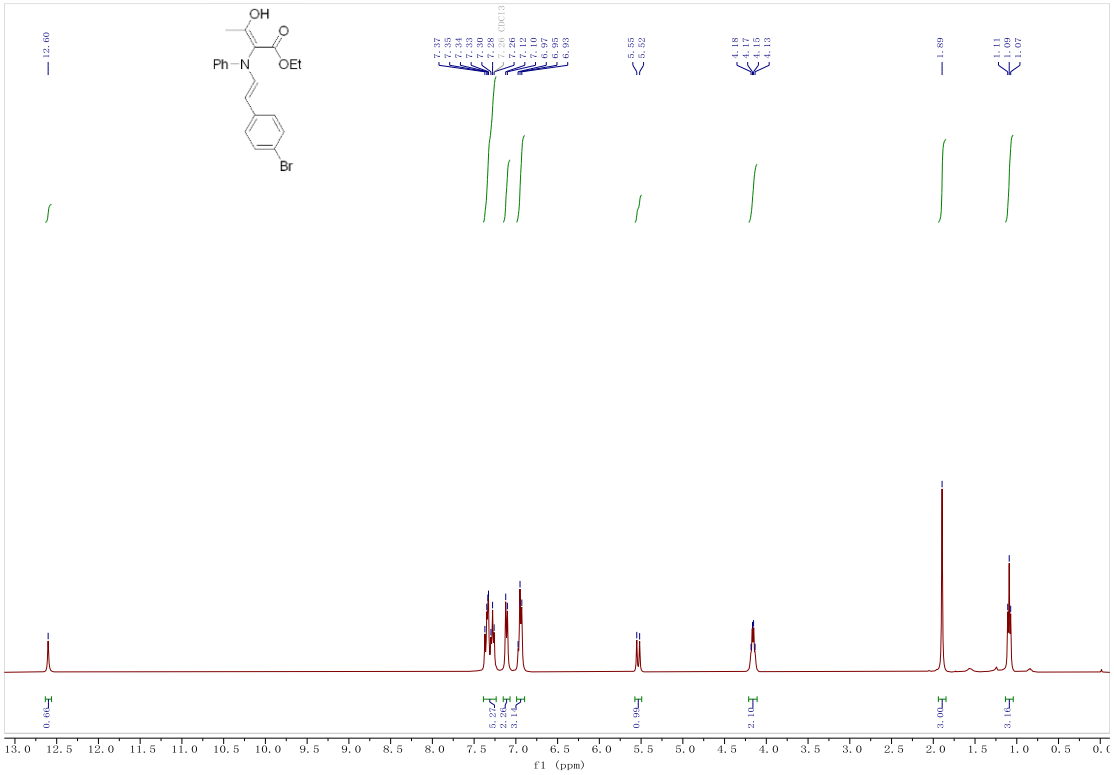


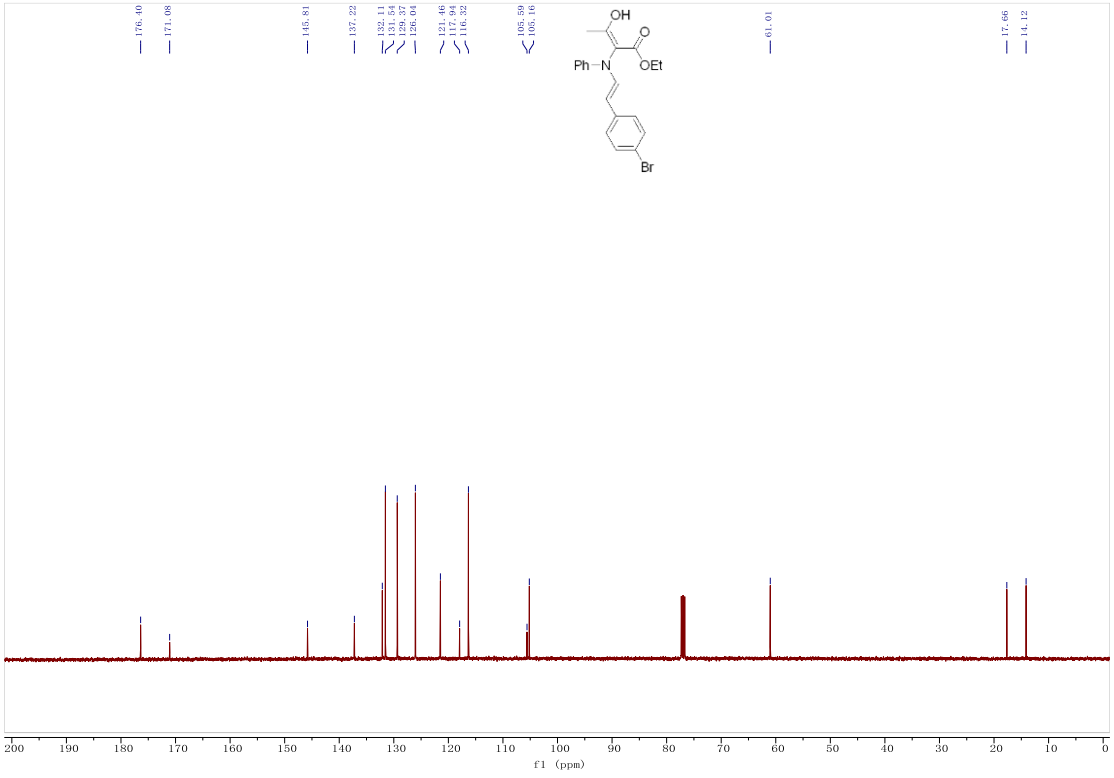
3ha



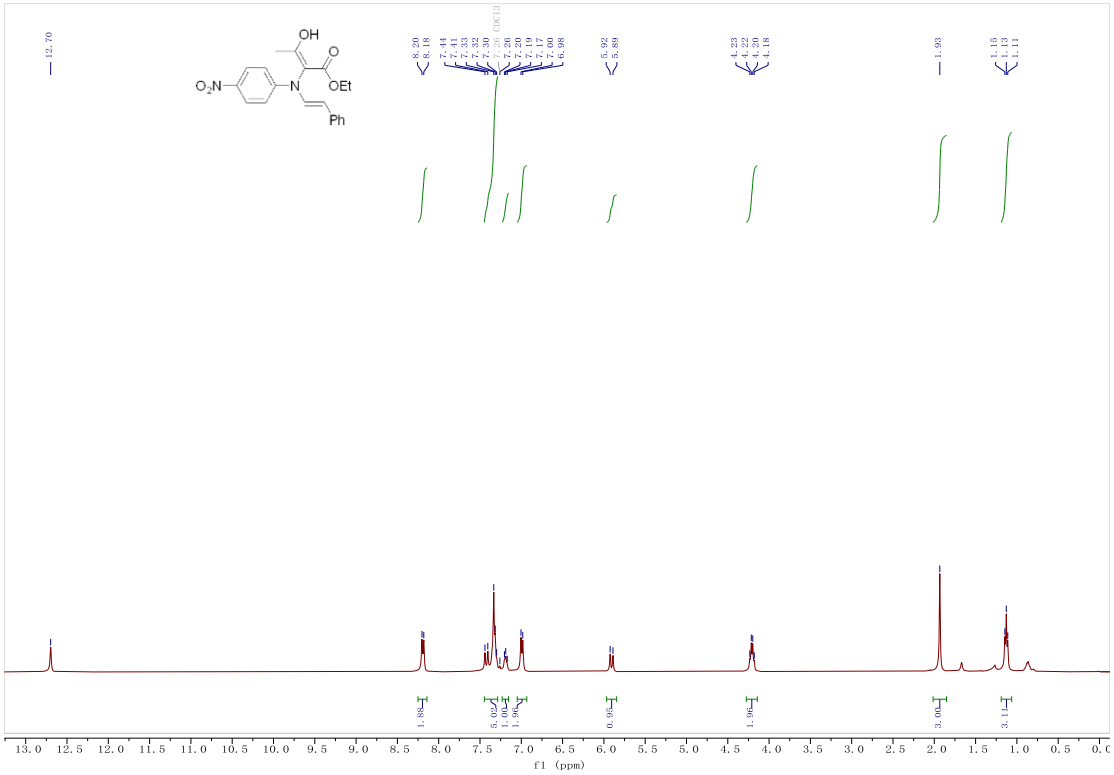


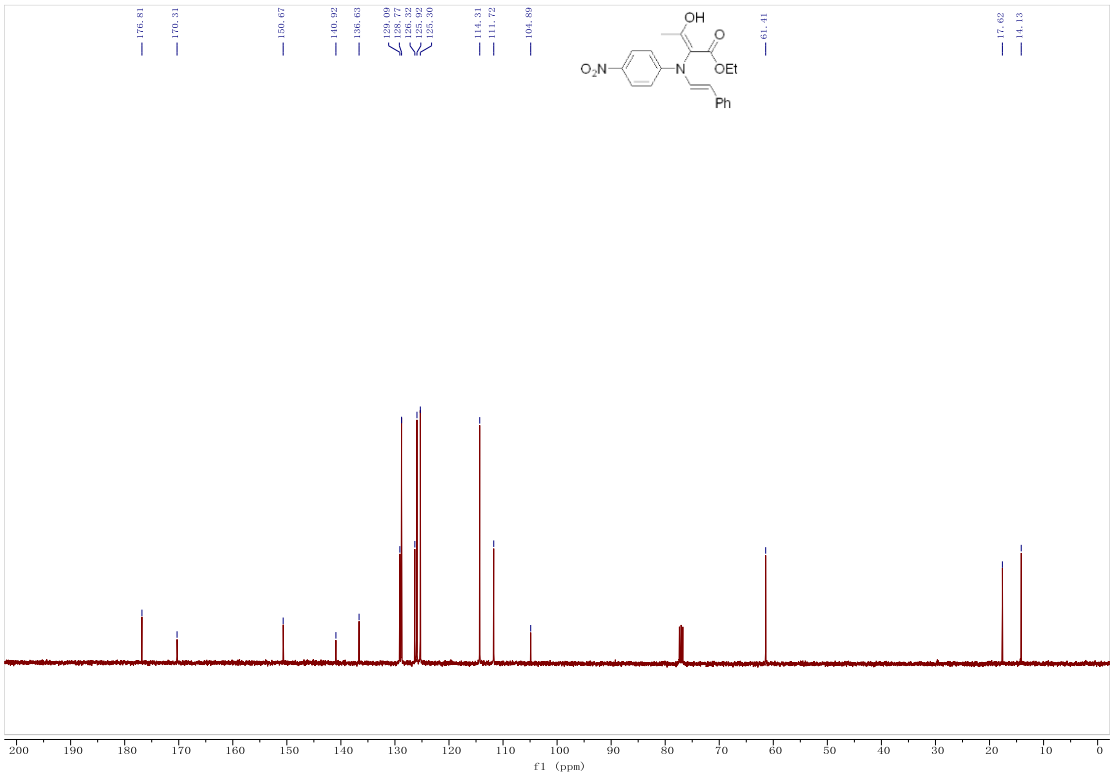
3ia


OH
O
Ph–N
OEt
Br
12.60
7.37
7.35
7.34
7.33
7.30
7.28
7.26
7.12
7.10
6.97
6.95
6.93
5.55
5.52
4.18
4.17
4.15
4.13
1.89
1.11
1.09
1.07
0.64
5.97
2.26
3.14
0.94
2.10
3.00
3.10
f1 (ppm)

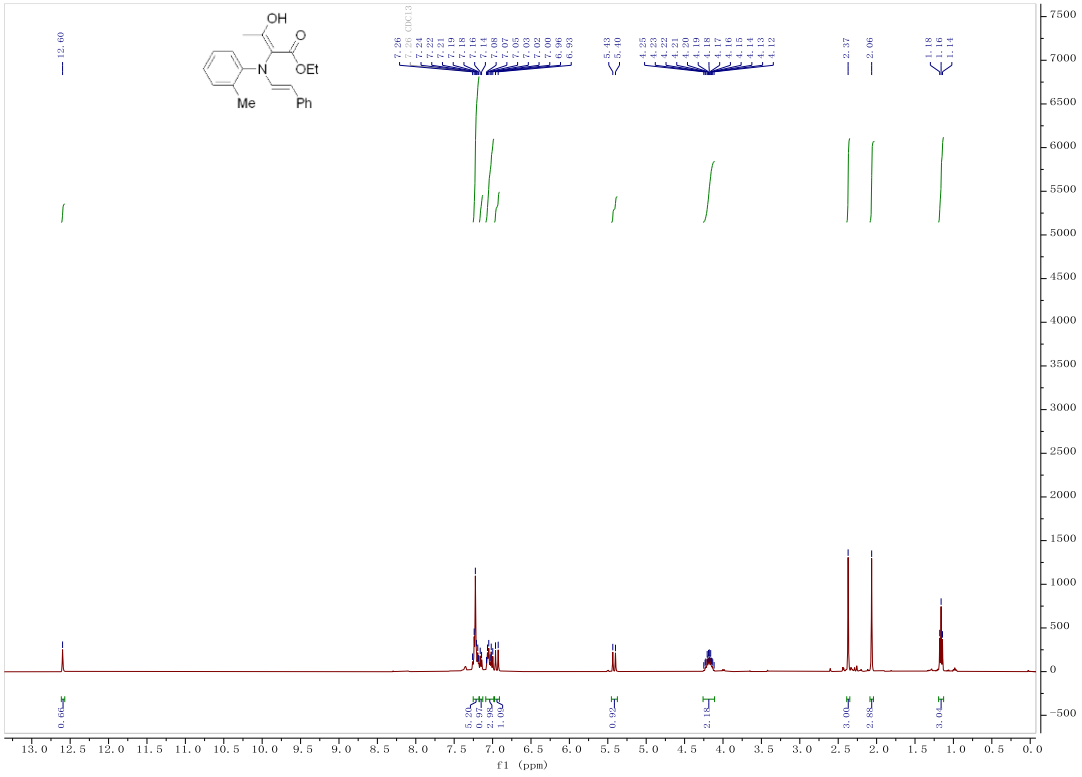

176.40
171.08
145.81
137.22
132.11
131.54
129.37
126.04
121.46
117.94
116.32
105.59
105.16
61.01
17.66
14.12
OH
O
Ph–N
OEt
Br
f1 (ppm)

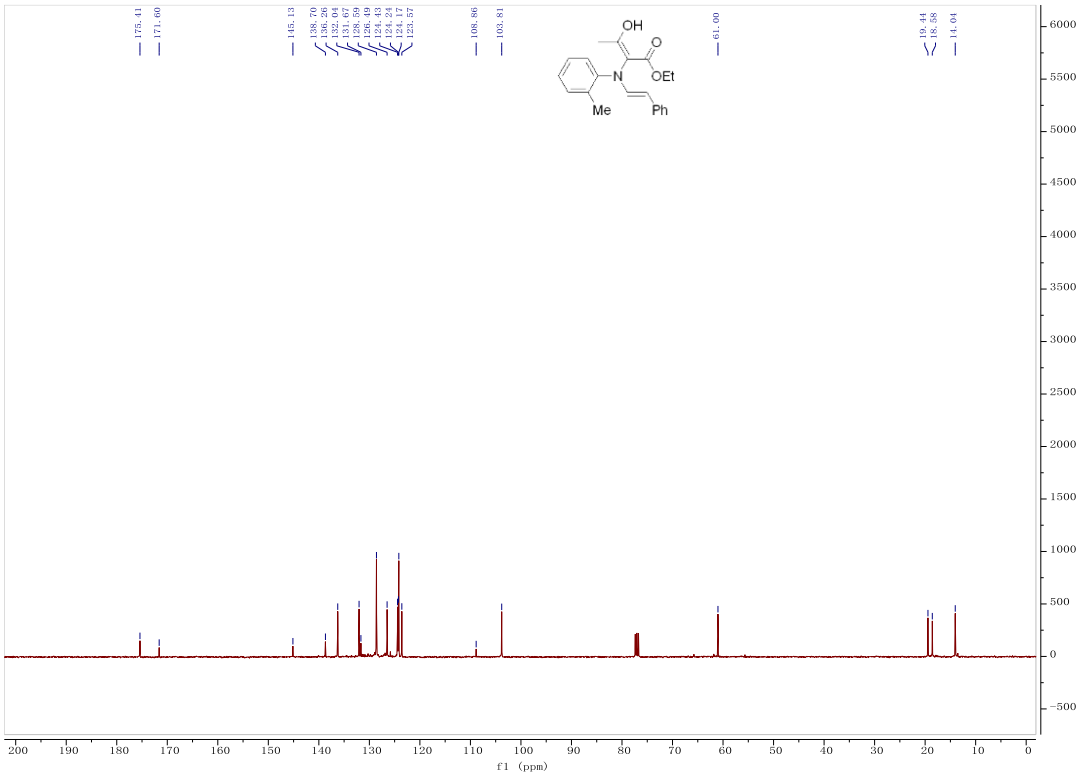
3ja


OH
O
O_2N
N
OEt
Ph
12.70
8.20
8.18
7.44
7.41
7.33
7.30
7.26
7.20
7.19
7.17
7.00
6.98
5.92
5.89
4.23
4.22
4.20
4.18
1.93
1.15
1.13
1.11
1.88
3.02
1.94
0.99
1.96
3.00
3.14
f1 (ppm)

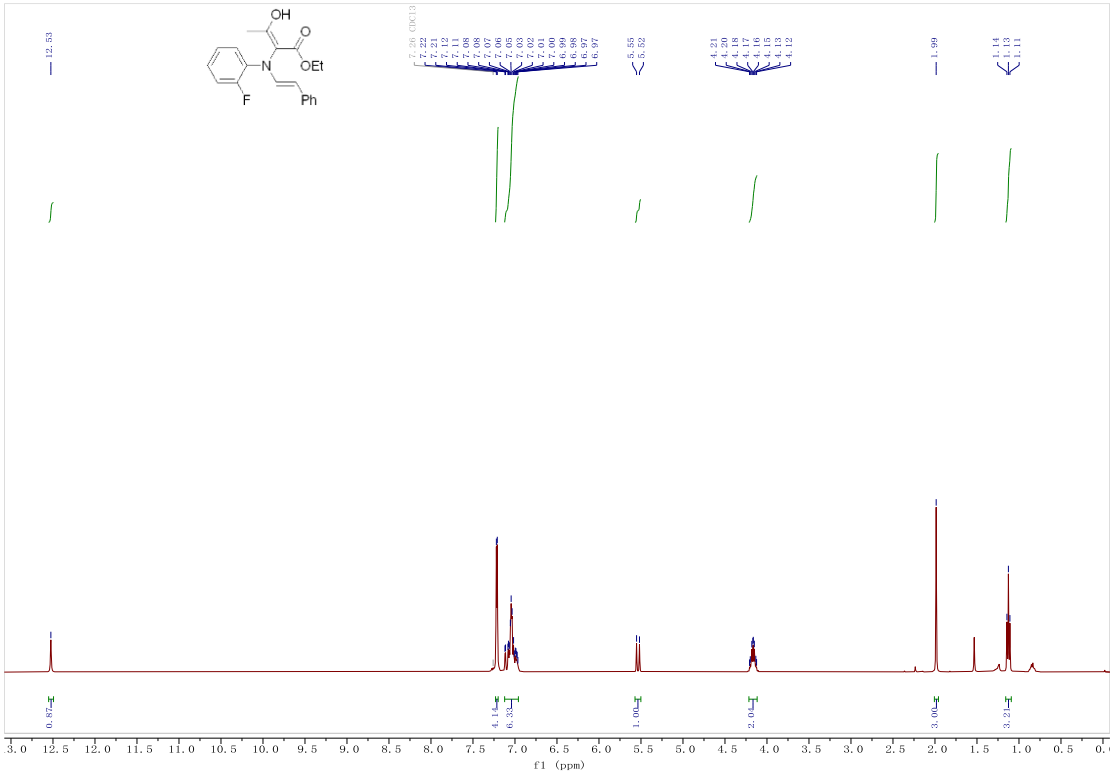

176.81
170.31
150.67
140.92
136.63
129.09
128.77
126.32
125.92
125.30
114.31
111.72
104.89
61.41
17.62
14.13
OH
O
O_2N
N
OEt
Ph
f1 (ppm)

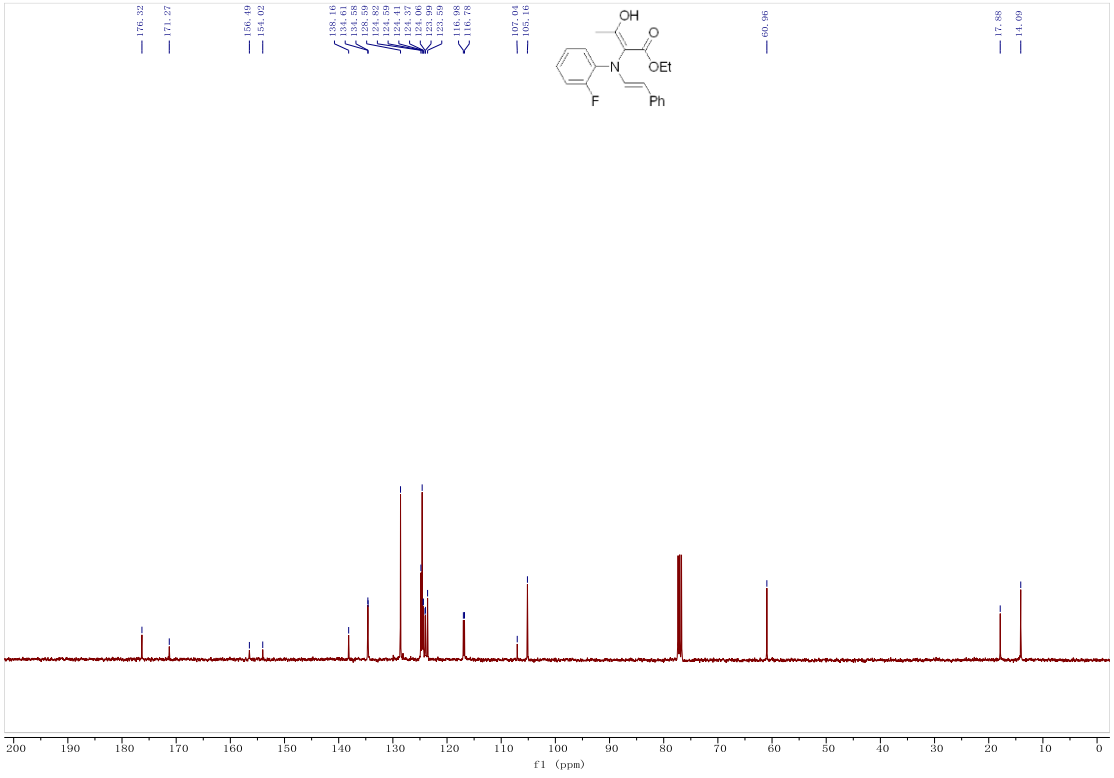
3ka





3la


OH
O
N
OEt
F
Ph
12.53
7.26 CDCl3
7.22
7.21
7.12
7.11
7.08
7.08
7.07
7.06
7.05
7.03
7.02
7.01
7.00
6.99
6.98
6.97
5.55
5.52
4.21
4.20
4.18
4.17
4.16
4.15
4.13
4.12
1.99
1.14
1.13
1.11
0.87
4.14
6.33
1.00
2.04
3.00
3.21
f1 (ppm)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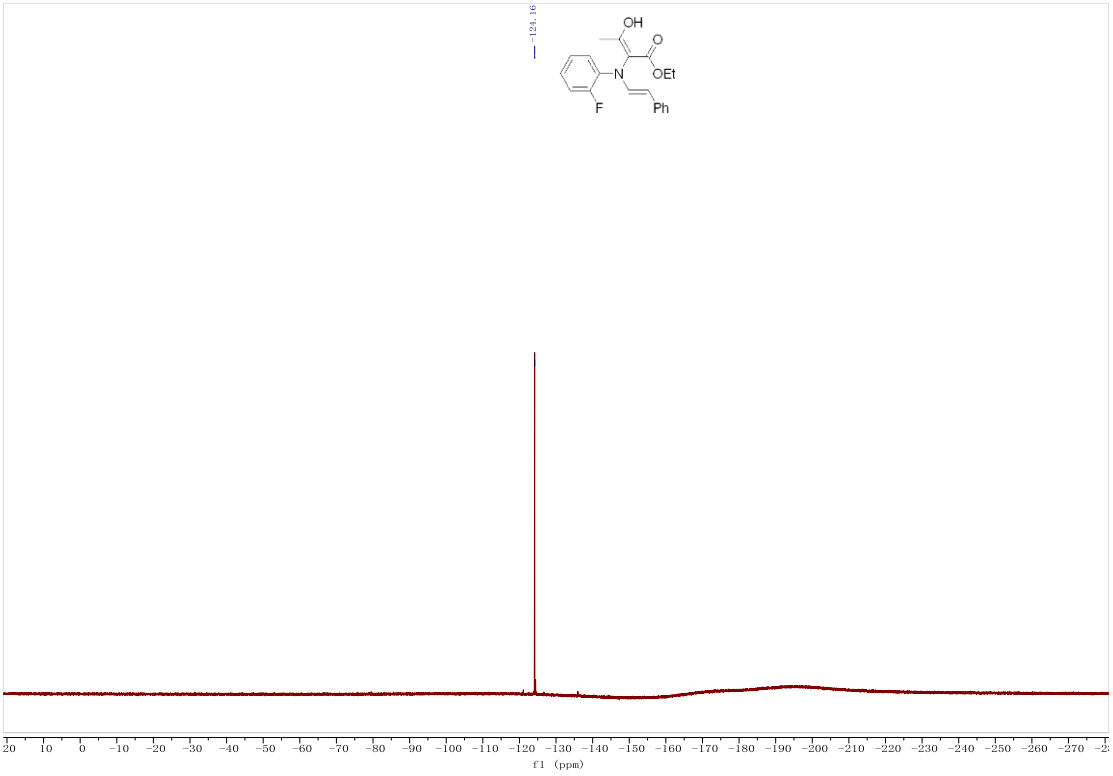
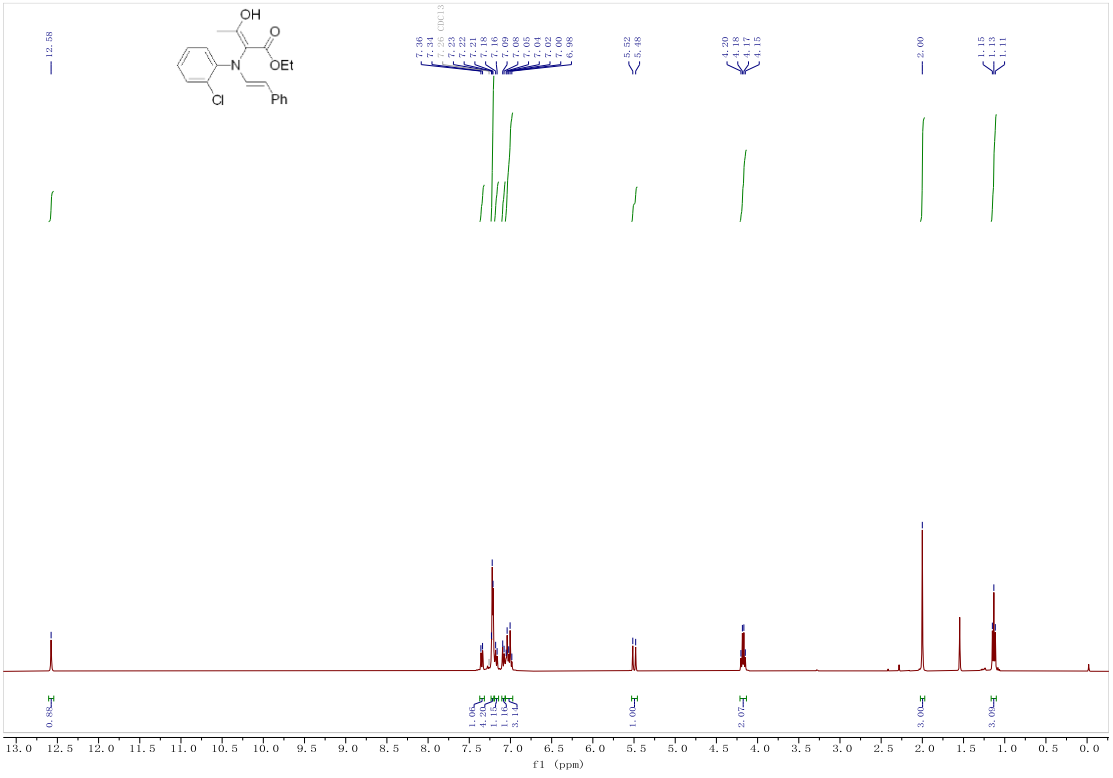
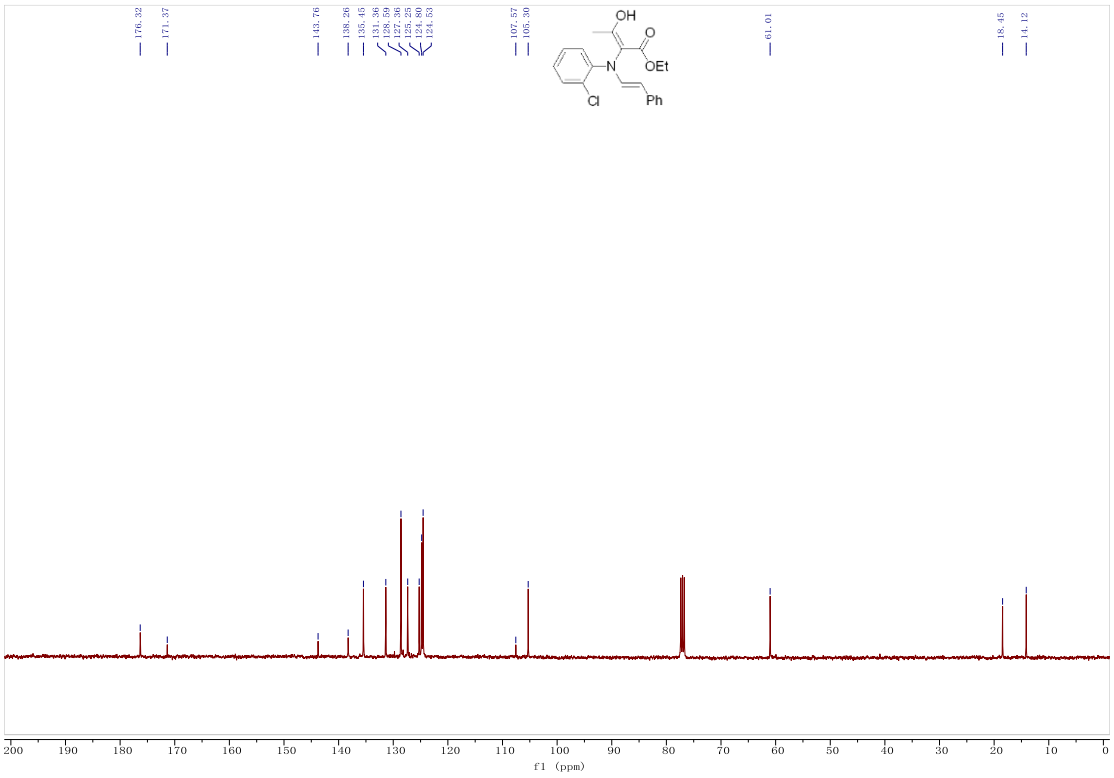
171.27
156.49
154.02
138.16
134.61
134.58
128.99
124.82
124.59
124.41
124.37
124.06
123.99
123.59
116.98
116.78
107.04
105.16
60.96
17.88
14.09
OH
O
N
OEt
F
Ph
f1 (ppm)


-124.16
OH
O
OEt
N
F
Ph
f1 (ppm)

3ma


12.58
OH
O
OEt
N
Cl
Ph
7.36
7.34
7.25 CDCl3
7.23
7.22
7.21
7.18
7.16
7.09
7.08
7.05
7.04
7.02
7.00
6.98
5.52
5.48
4.29
4.18
4.17
4.15
2.00
1.15
1.13
1.11
0.88
1.06
4.20
1.13
1.04
3.14
1.00
2.07
3.01
3.01
f1 (ppm)



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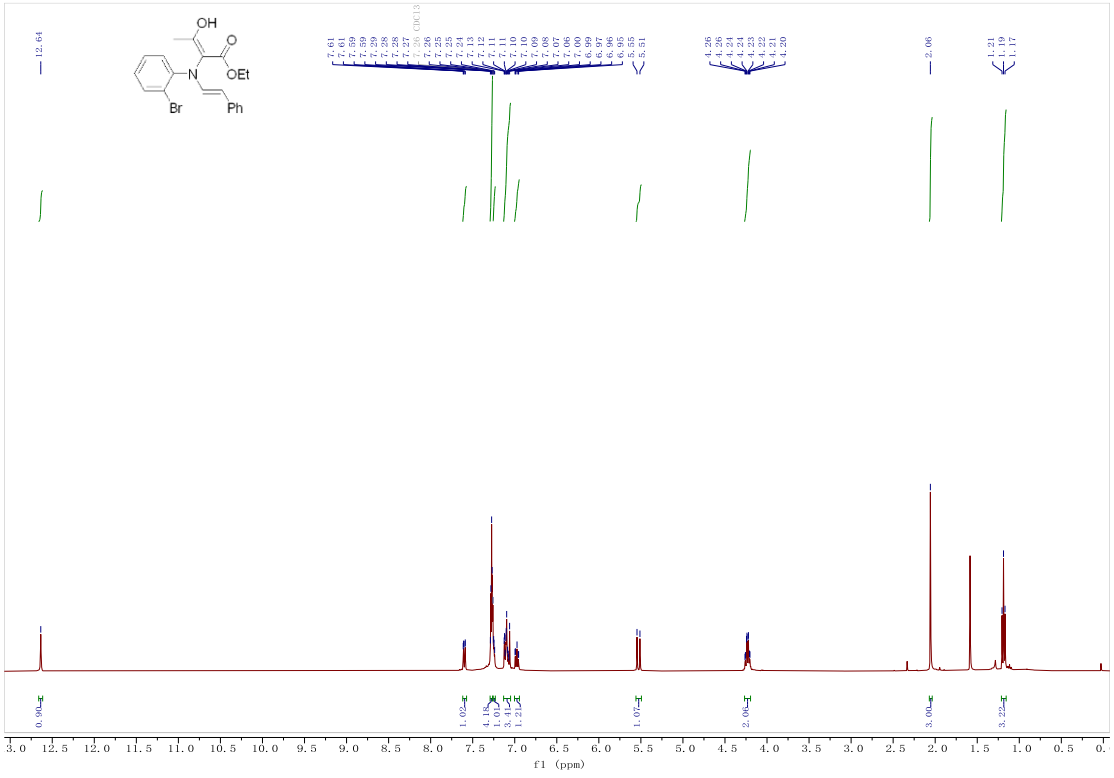

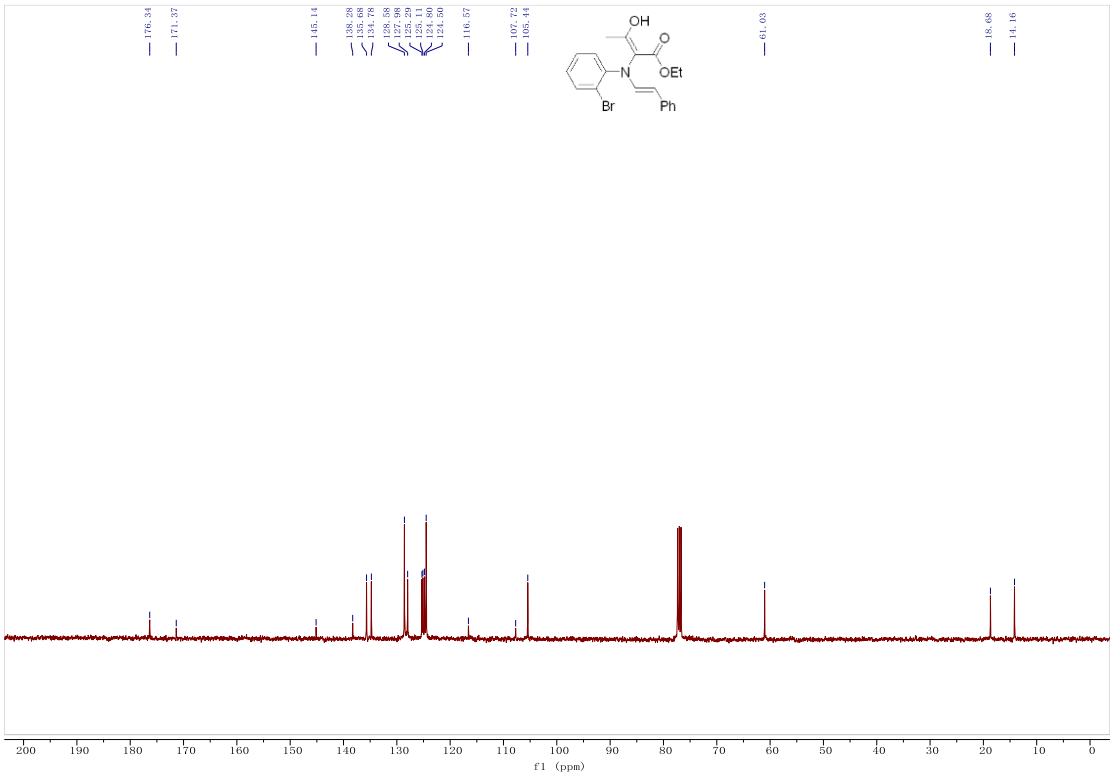
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171.37
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138.28
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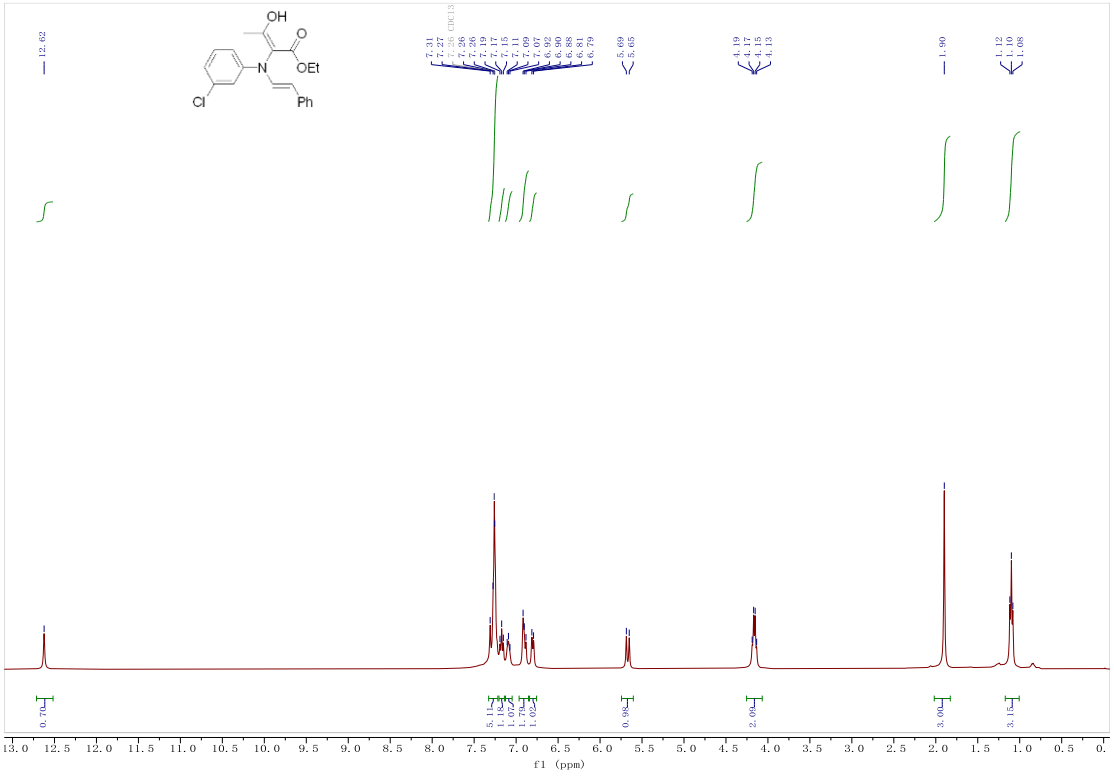
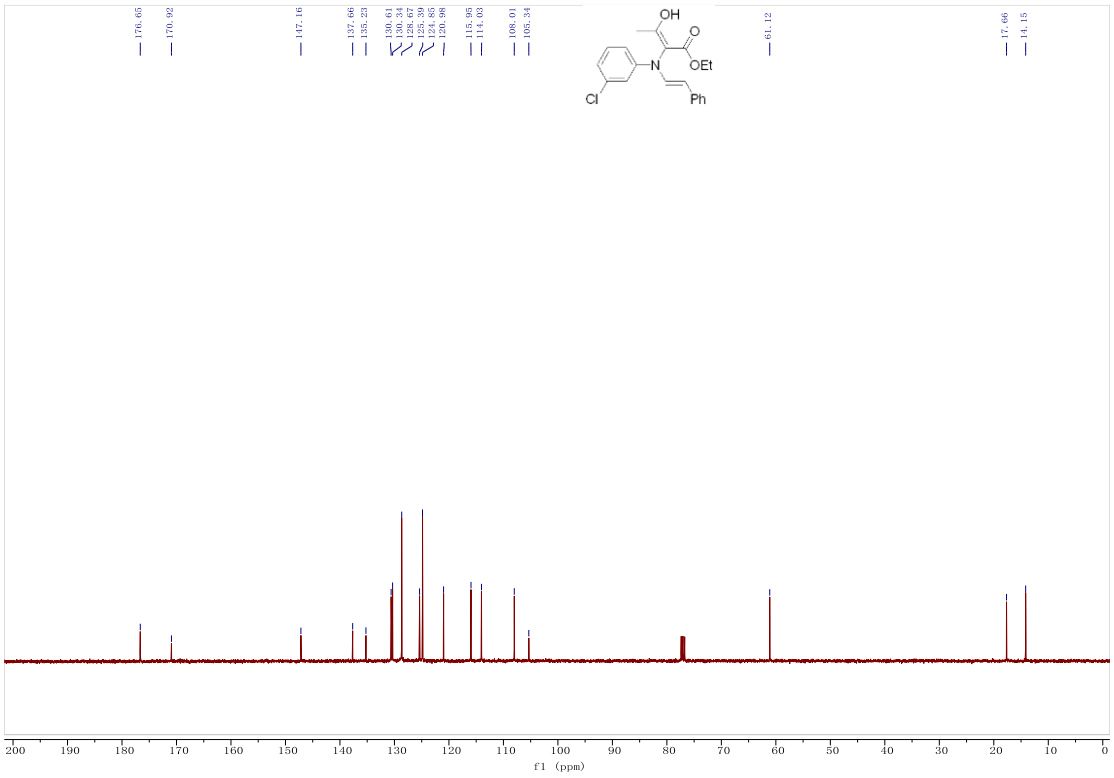
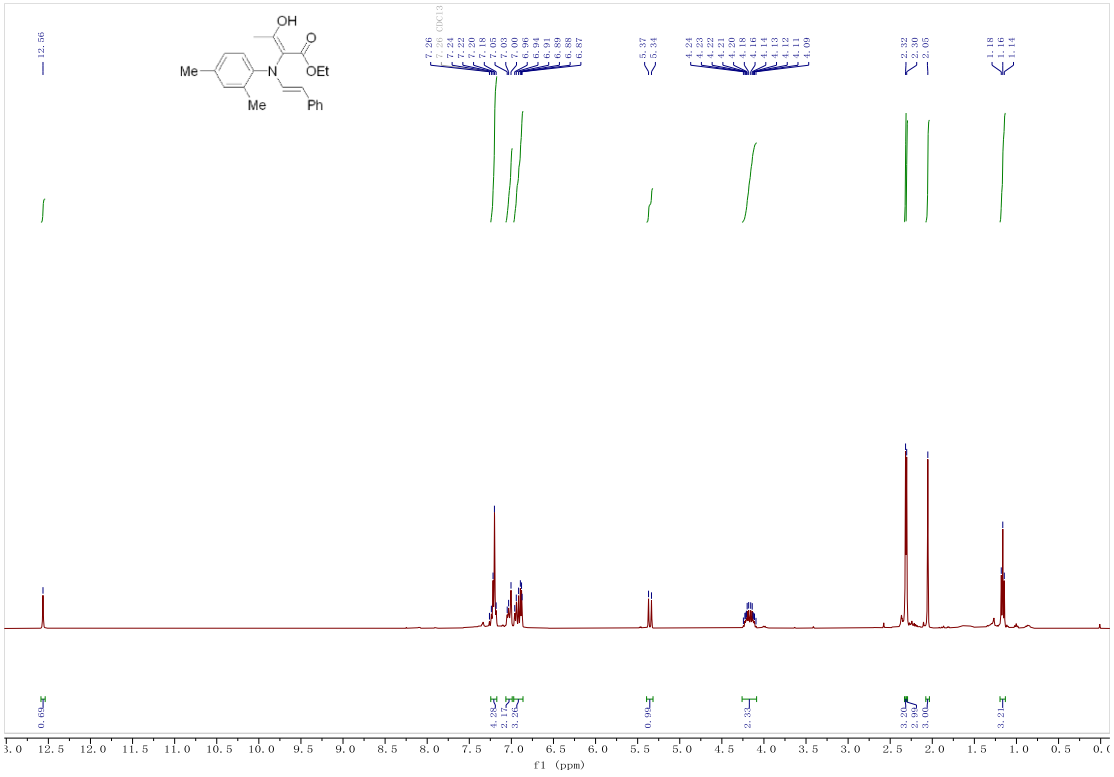
134.78
128.58
127.98
125.39
125.11
124.80
124.50
116.57
107.72
105.44
61.03
18.68
14.16
OH
O
OEt
N
Br
Ph
f1 (ppm)

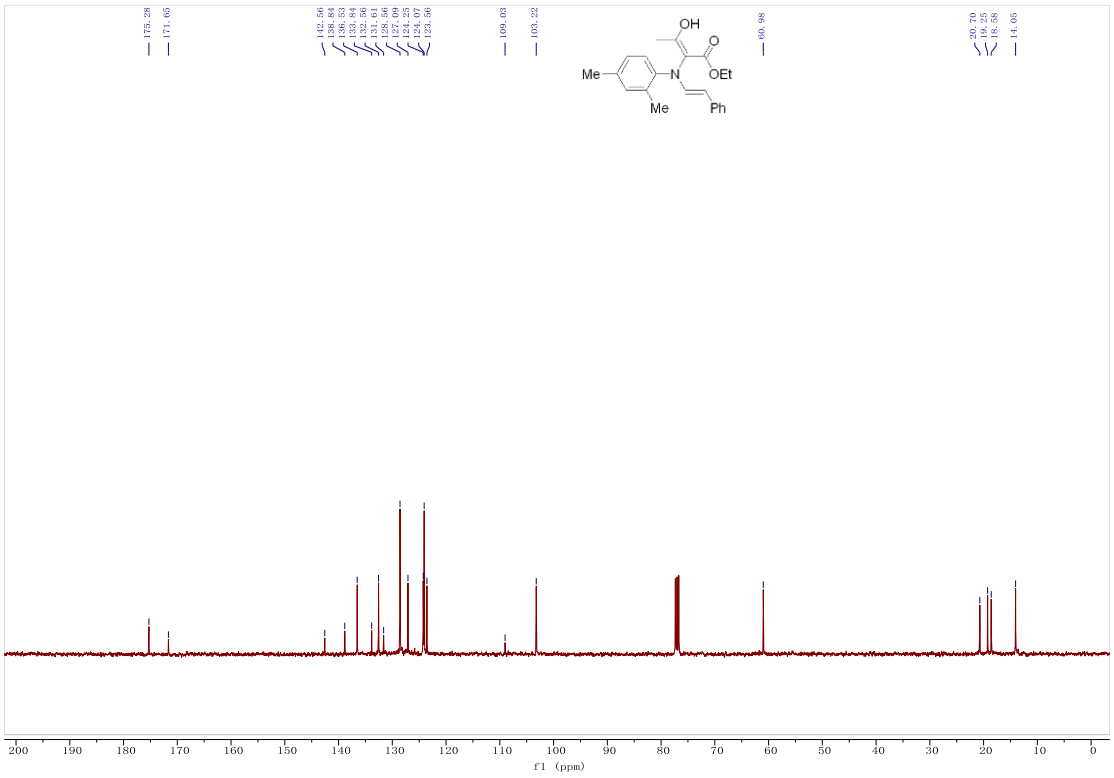
3oa


12.62
7.31
7.27
7.26
7.19
7.17
7.15
7.11
7.09
7.07
6.92
6.90
6.88
6.81
6.79
5.69
5.65
4.19
4.17
4.15
4.13
1.90
1.12
1.10
1.08
OH
O
OEt
N
Cl
Ph
f1 (ppm)



3pa

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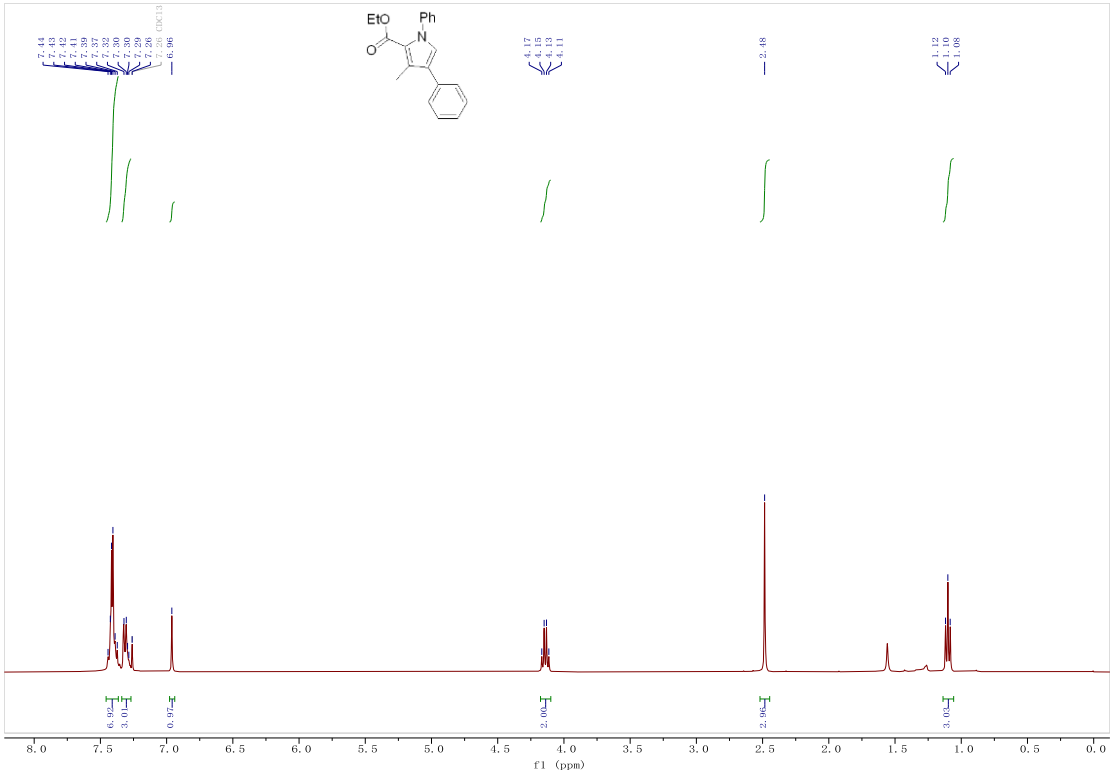
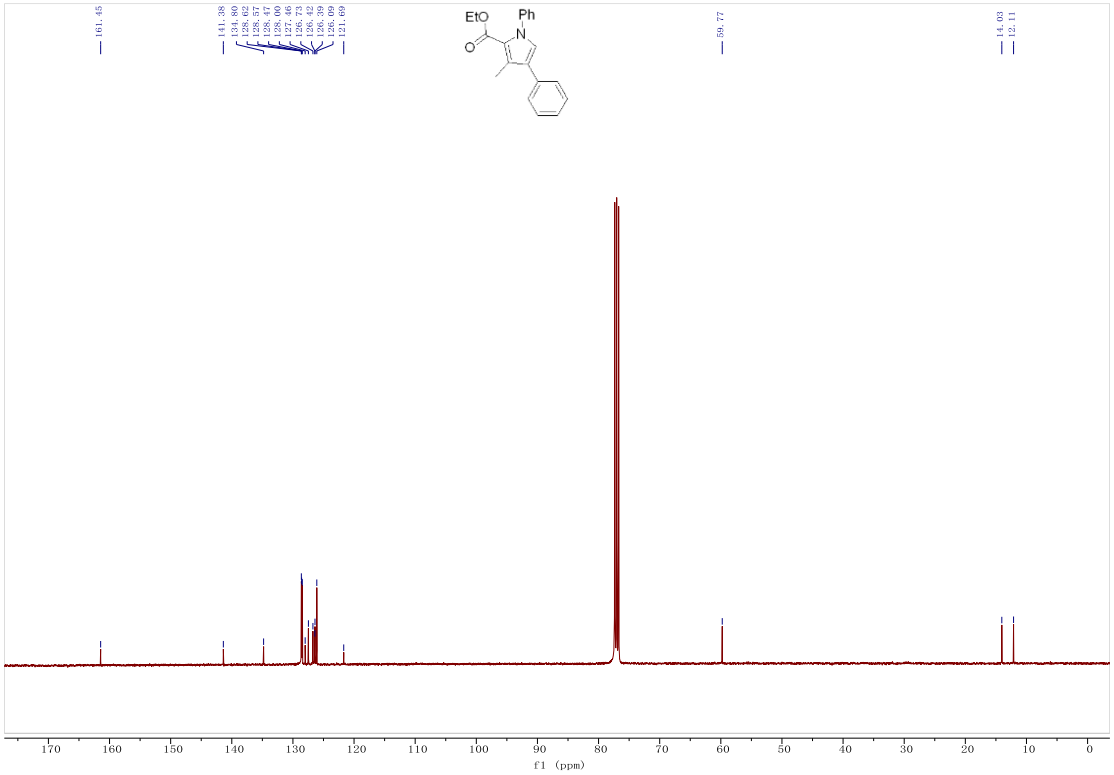
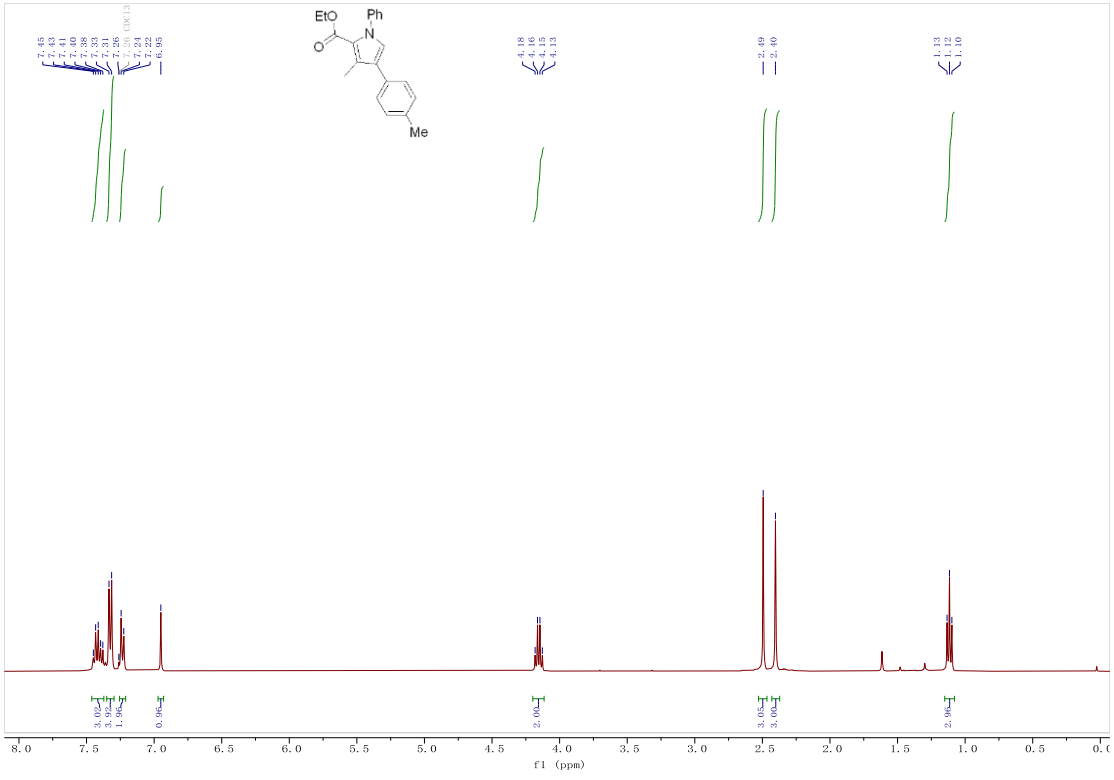
171.65
142.56
138.84
136.53
135.84
132.58
131.61
128.56
127.09
124.35
124.07
123.56
109.03
103.22
60.98
20.70
19.25
18.58
14.05
OH
O
OEt
N
Me
Me
Ph
f1 (ppm)

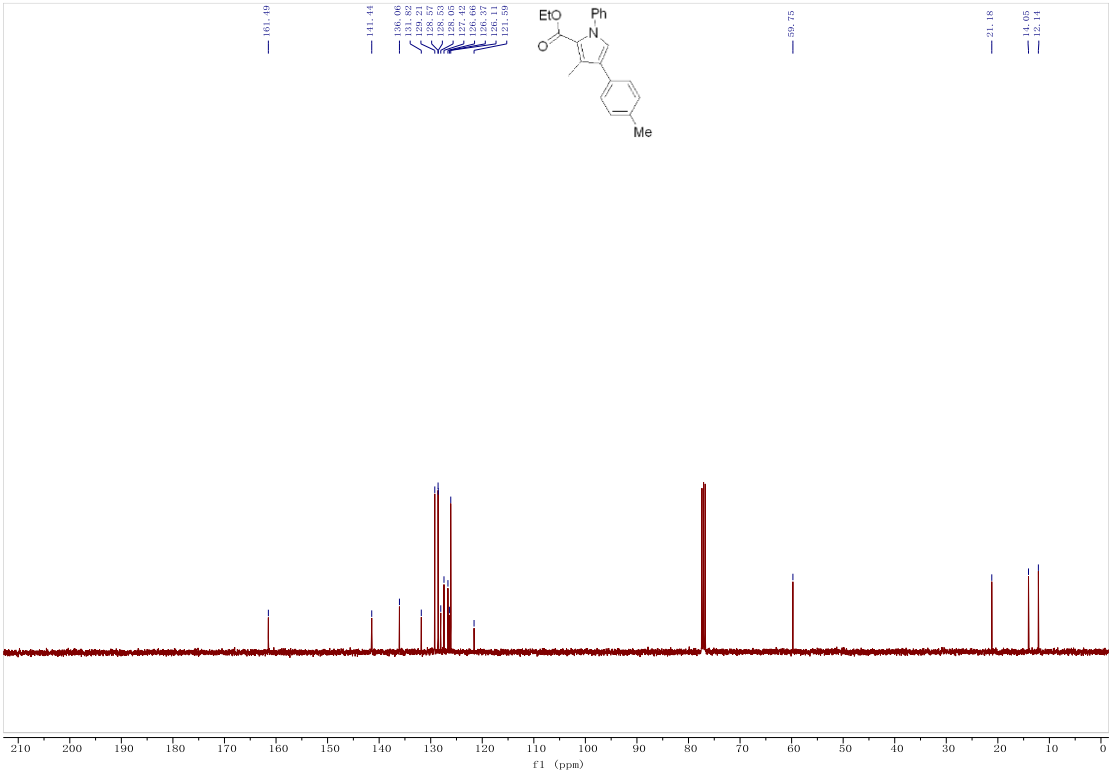
4aa


7.44
7.43
7.42
7.41
7.39
7.37
7.32
7.30
7.29
7.26
CDCl3
6.96
EtO
Ph
O
N
4.17
4.15
4.13
4.11
2.48
1.12
1.10
1.08
6.92
3.01
0.97
2.04
2.96
3.03
f1 (ppm)

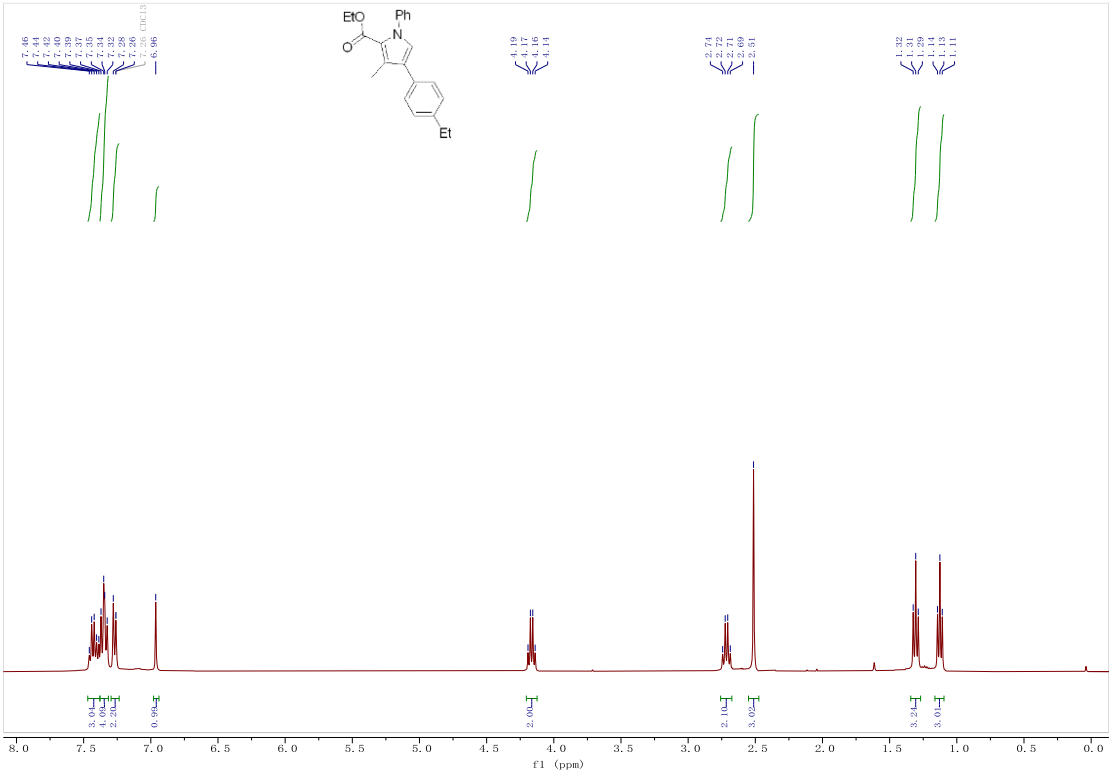

161.45
141.38
134.80
128.62
128.57
128.47
128.00
127.46
126.73
126.63
126.39
126.09
121.69
59.77
14.03
12.11
EtO
Ph
N
O
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

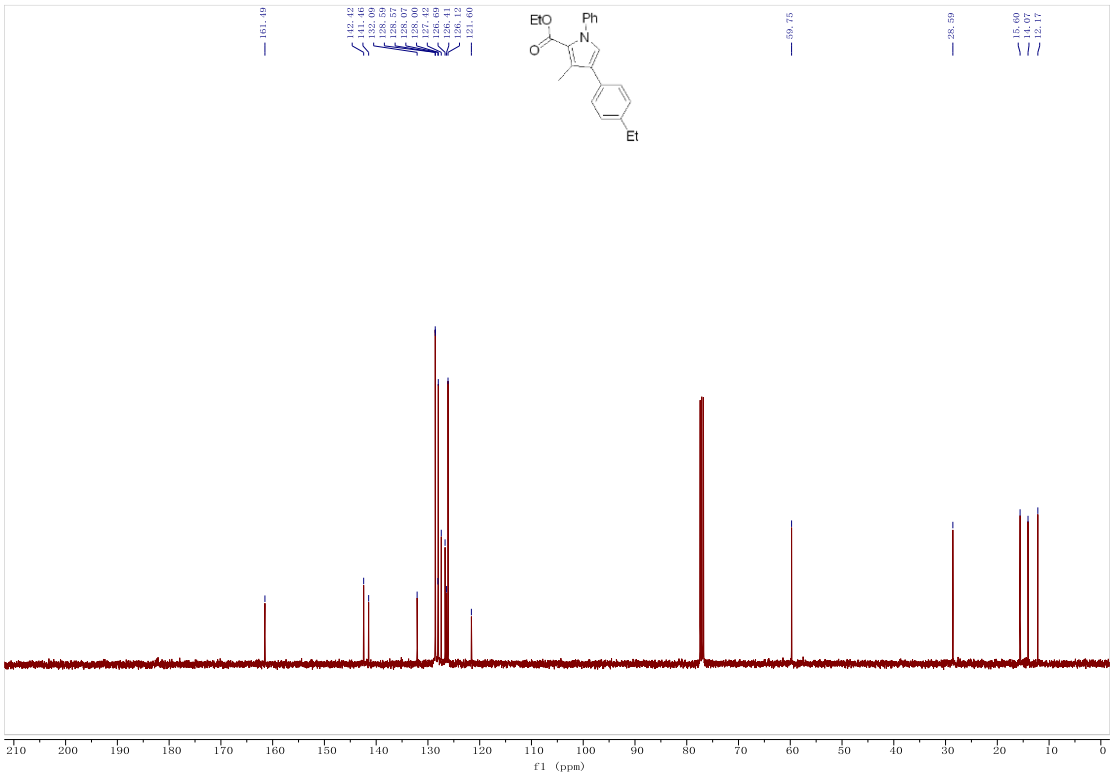
4ab


7.45
7.43
7.41
7.40
7.38
7.33
7.31
7.26
CDCl3
7.24
7.22
6.95
4.18
4.16
4.15
4.13
2.49
2.40
1.13
1.12
1.10
EtO
Ph
N
O
Me
3.02
3.92
1.96
0.96
2.00
3.05
3.00
2.06
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
f1 (ppm)

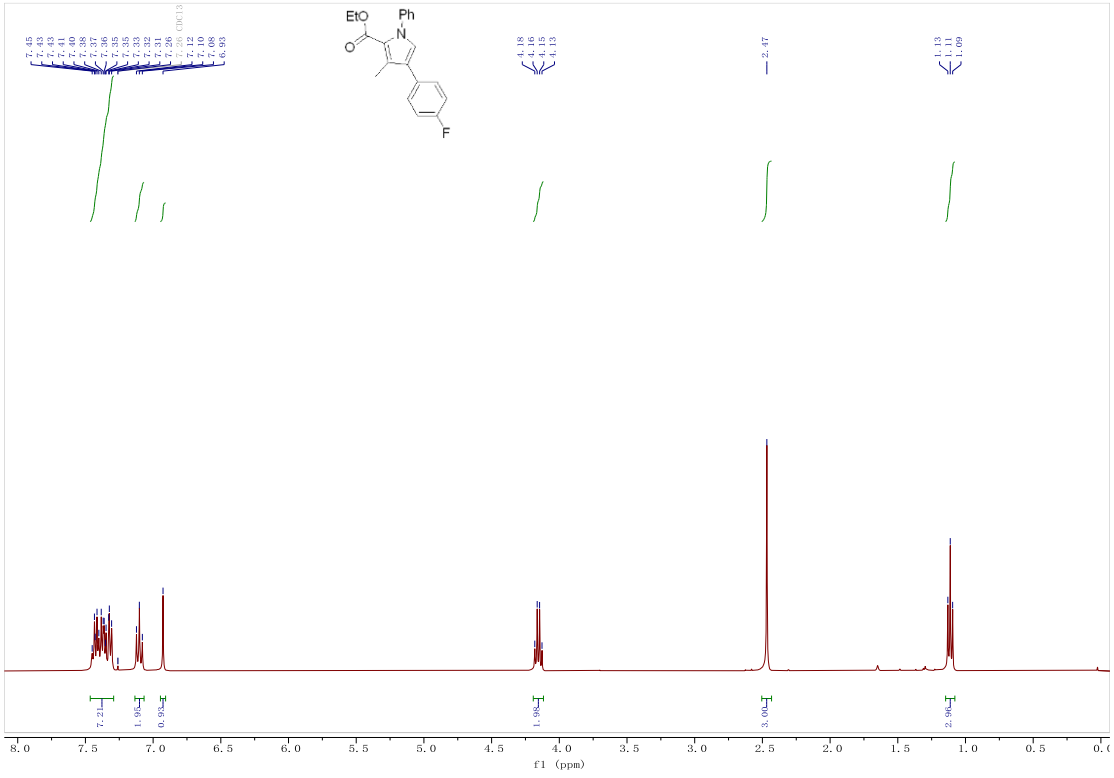

EtO
Ph
N
O
Me
161.49
141.44
136.06
131.82
129.21
128.53
128.57
128.05
127.42
126.66
126.37
126.11
121.59
59.75
21.18
14.05
12.14
f1 (ppm)

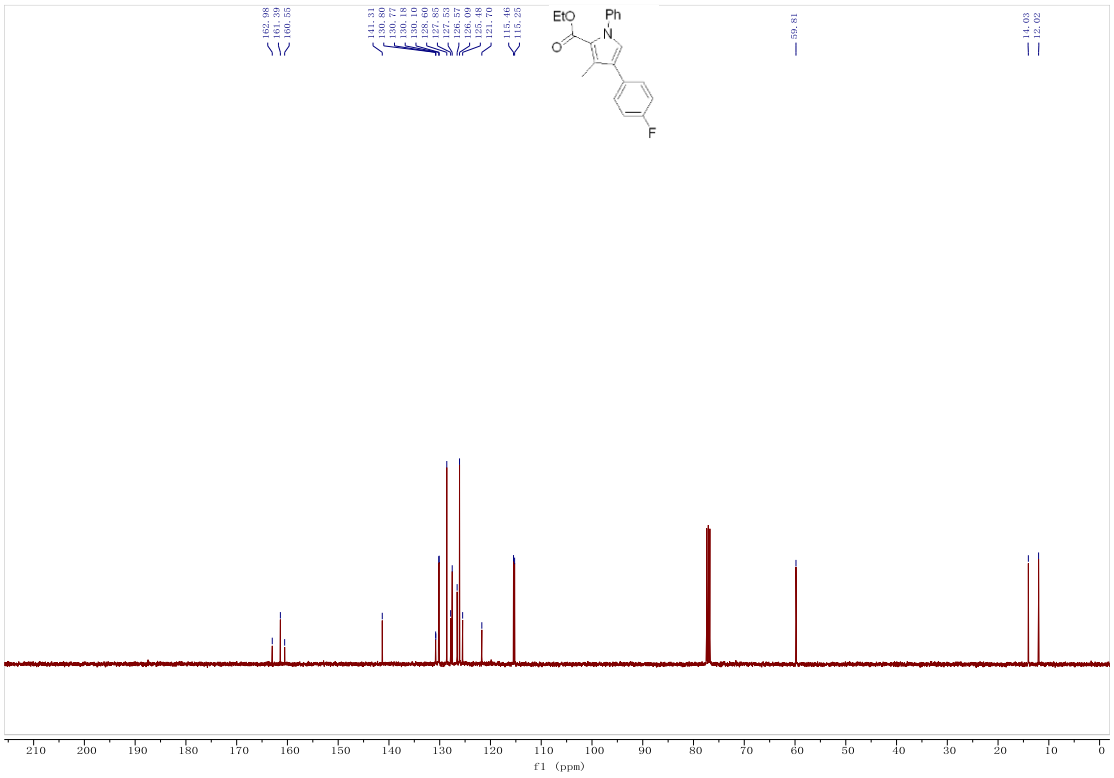
4ac


EtO
Ph
N
O
Et
7.46
7.44
7.42
7.40
7.39
7.37
7.35
7.34
7.32
7.28
7.26
CDCl3
6.96
4.19
4.17
4.16
4.14
2.74
2.72
2.71
2.69
2.51
1.32
1.31
1.29
1.14
1.13
1.11
3.04
4.09
2.20
0.99
2.00
2.10
3.02
3.24
3.01
f1 (ppm)


161.49
142.42
141.46
132.09
128.59
128.57
128.07
128.00
127.42
126.69
126.41
126.12
121.60
59.75
28.59
15.60
14.07
12.17
EtO
Ph
N
O
Et
f1 (ppm)

4ad


7.45
7.43
7.43
7.41
7.40
7.38
7.37
7.36
7.35
7.35
7.33
7.32
7.31
7.26
7.26 CDCl3
7.12
7.10
7.08
6.93
4.18
4.16
4.15
4.13
2.47
1.13
1.11
1.09
EtO
Ph
N
O
F
7.21
1.95
0.93
1.98
3.01
2.96
f1 (ppm)


162.98
161.39
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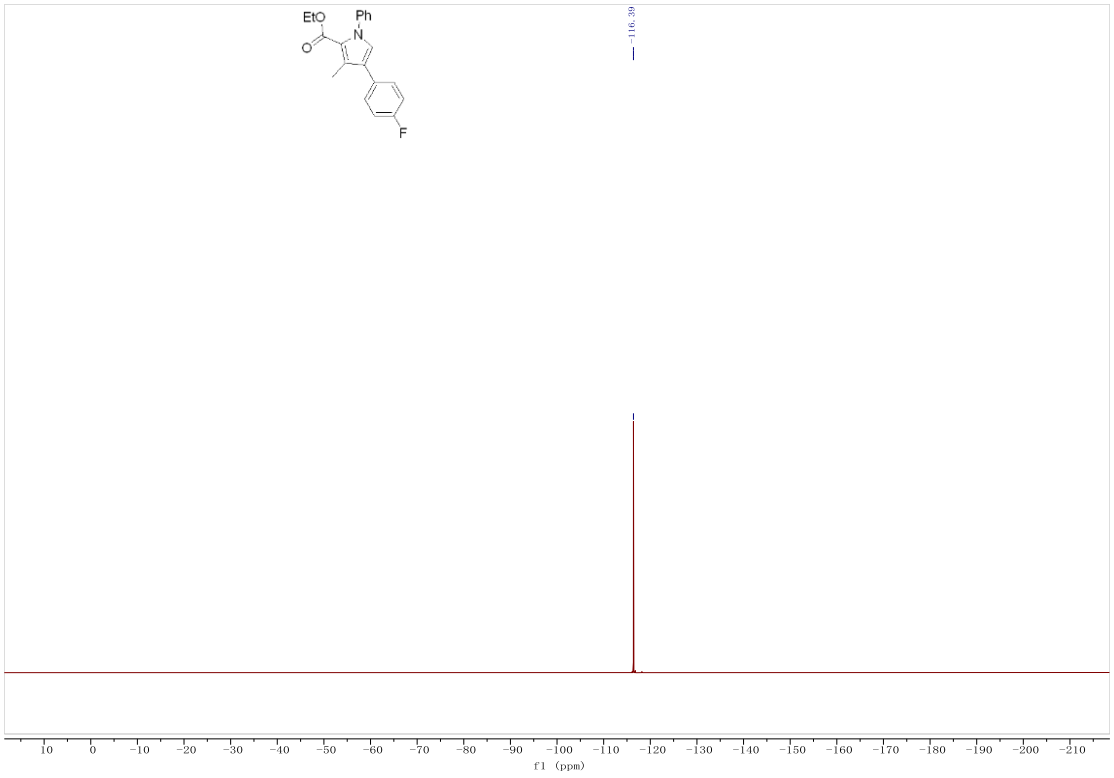
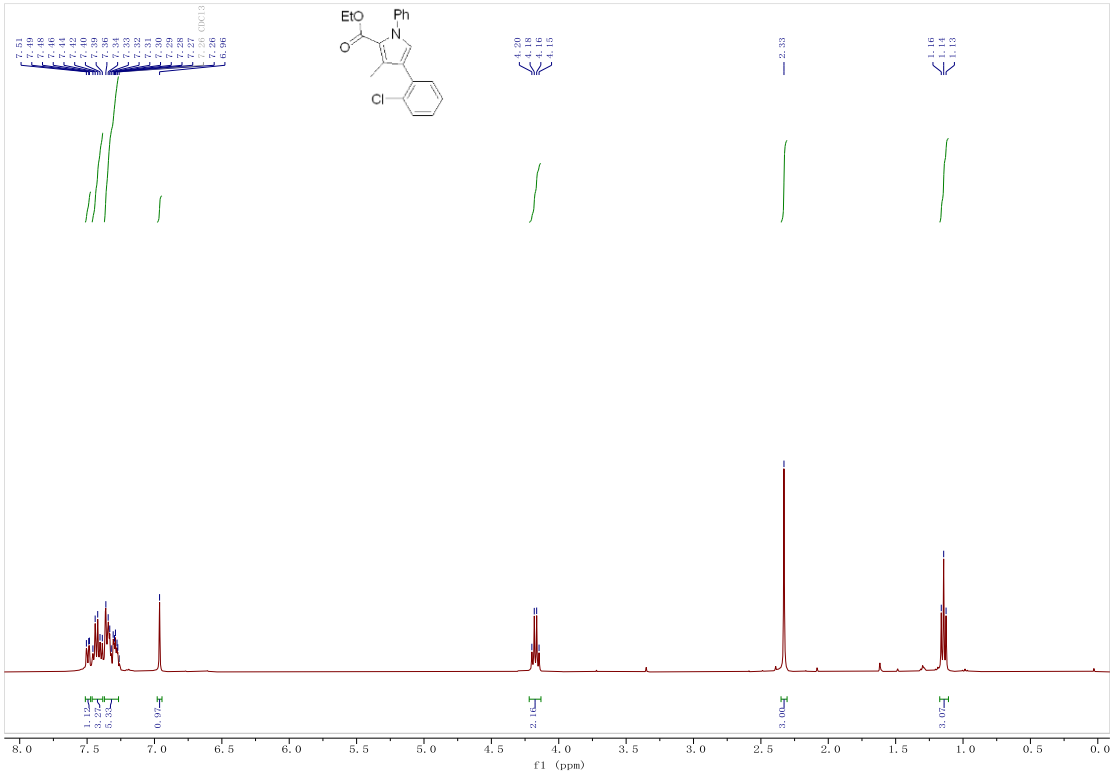
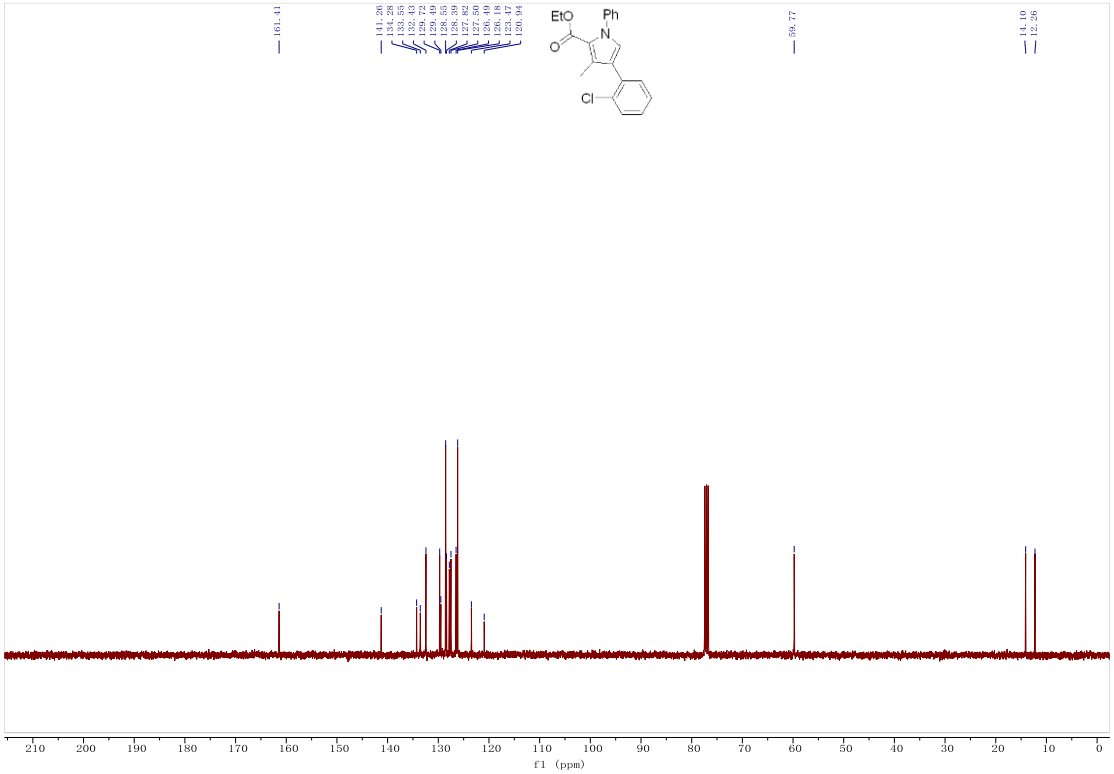
130.77
130.18
130.10
128.60
127.85
127.53
126.57
126.09
125.48
121.70
115.46
115.25
59.81
14.03
12.02
EtO
Ph
N
O
F
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

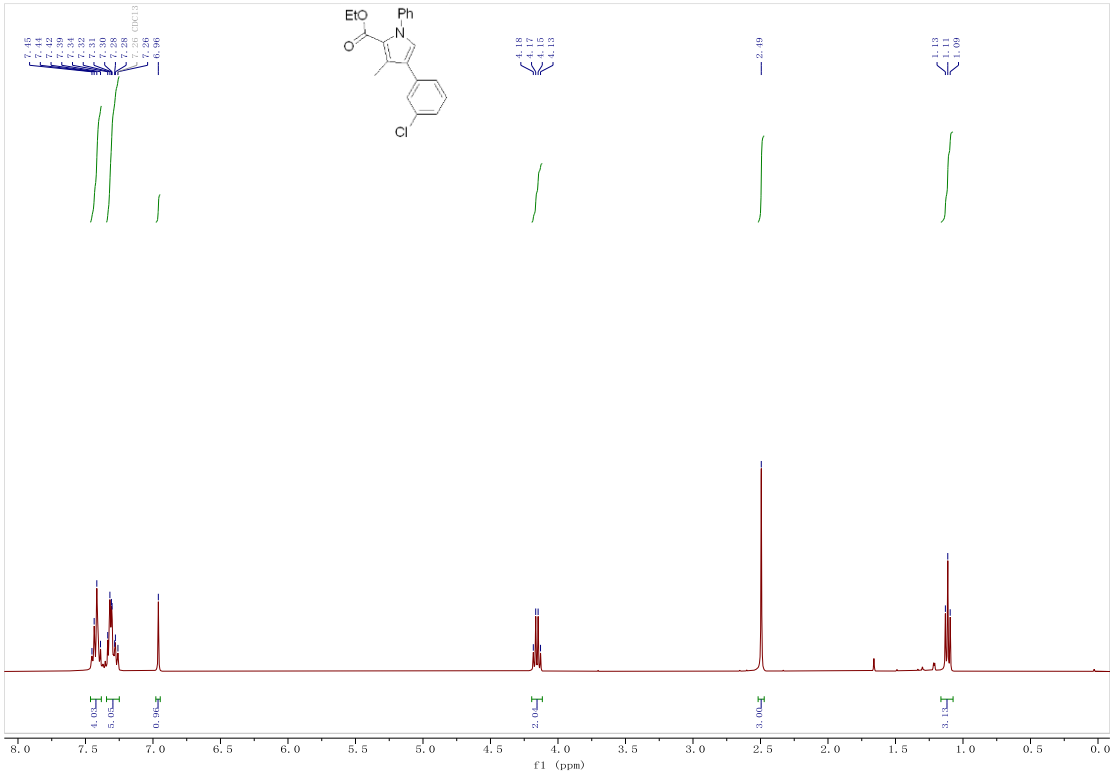

-116.39
EtO
Ph
N
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

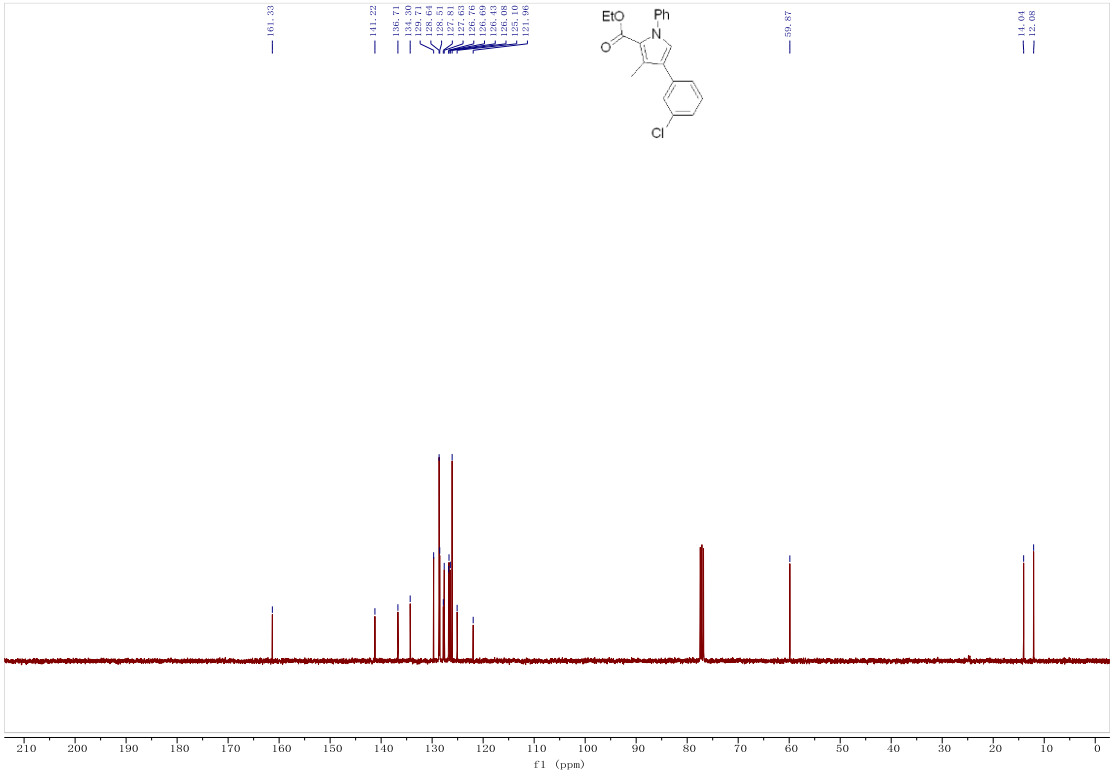
4ae



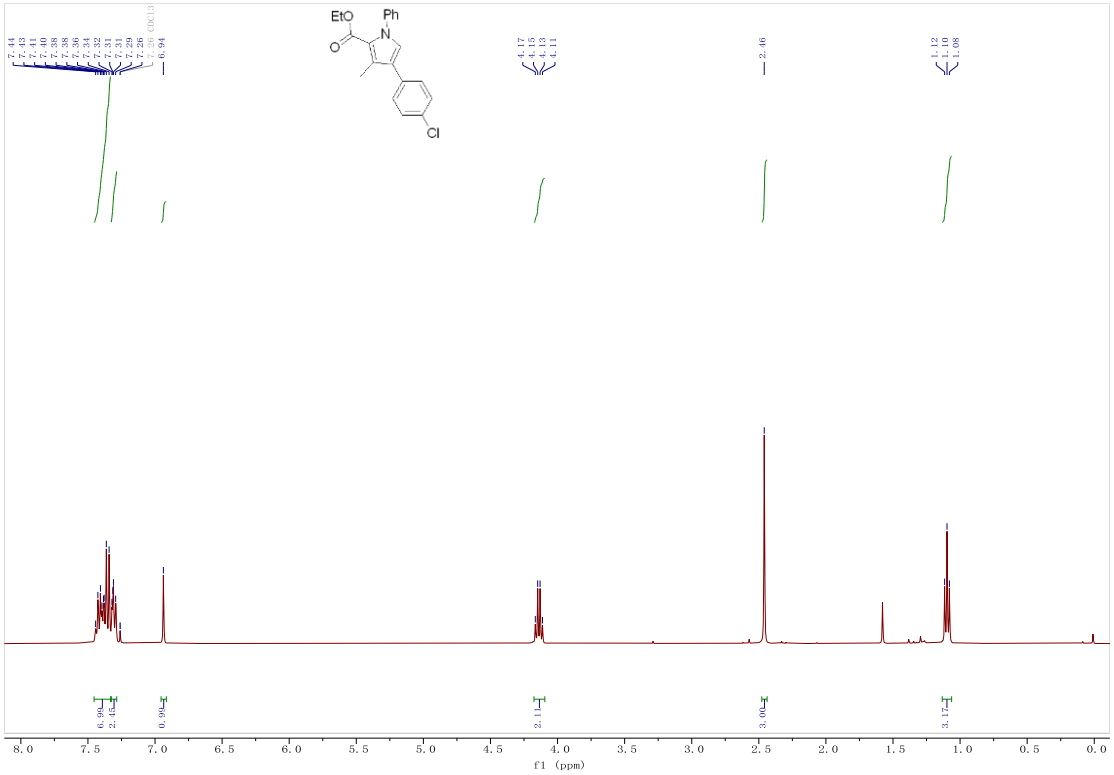


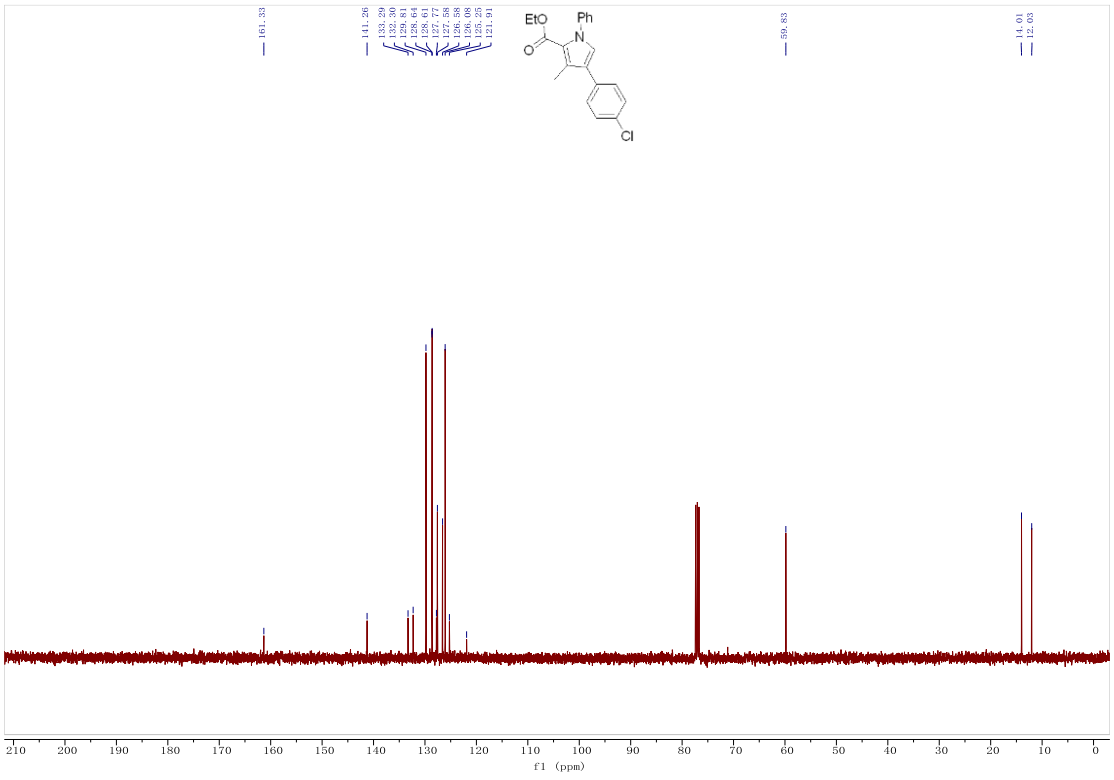
4af


EtO
Ph
N
O
Cl
7.45
7.44
7.42
7.39
7.34
7.32
7.31
7.30
7.29
7.28
7.26 CDCl3
6.96
4.18
4.17
4.15
4.13
2.49
1.13
1.11
1.09
4.03
5.05
0.96
2.04
3.00
3.14
f1 (ppm)

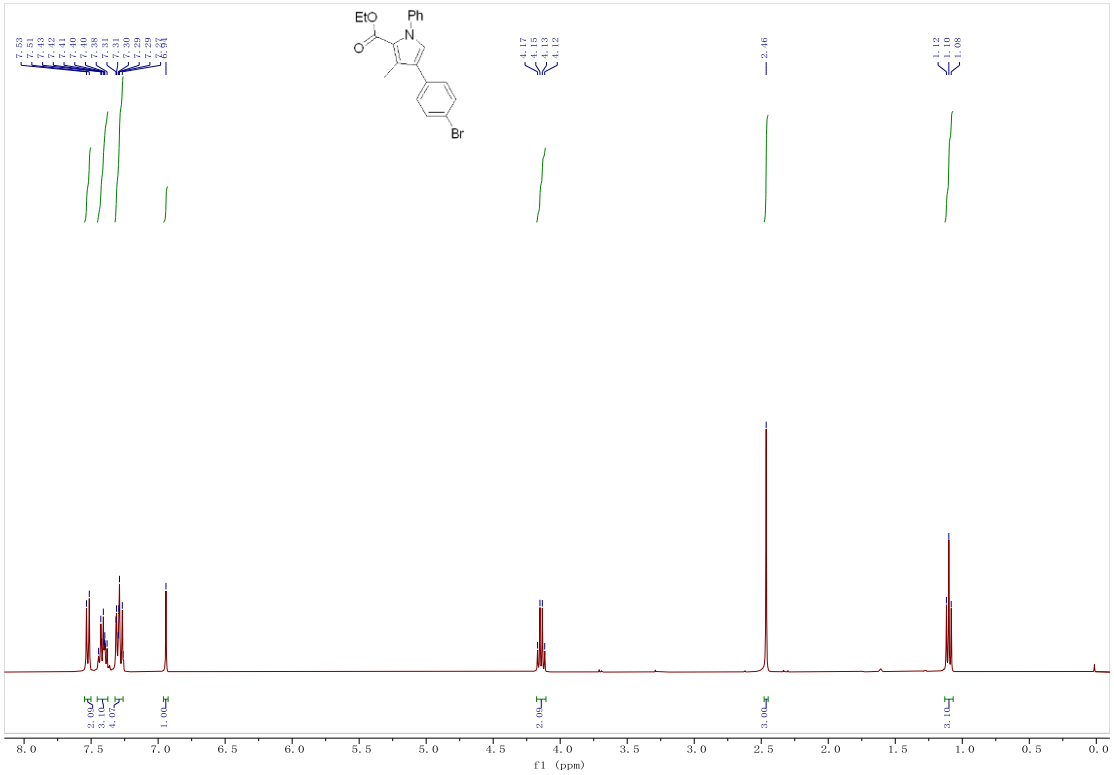

161.33
141.22
136.71
134.99
129.71
128.64
128.51
127.81
127.63
126.76
126.69
126.43
125.98
125.10
121.96
EtO
Ph
N
O
Cl
59.87
14.04
12.08
f1 (ppm)

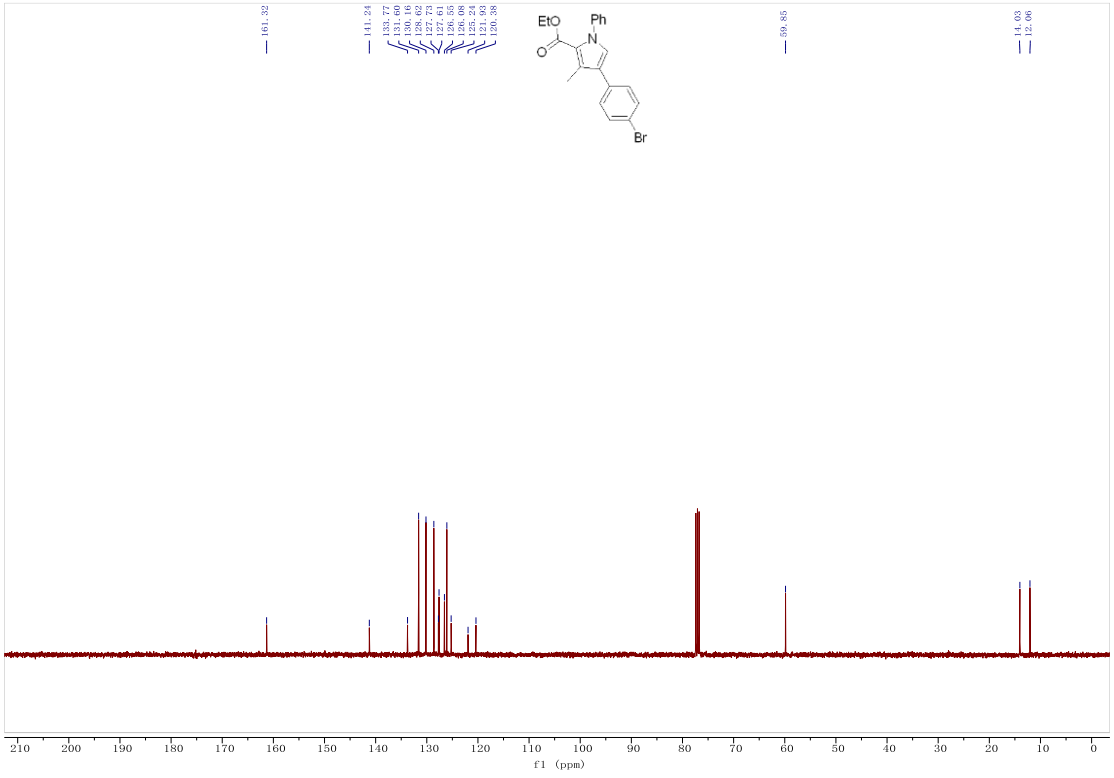
4ag


EtO
Ph
N
O
Cl
7.44
7.43
7.41
7.40
7.38
7.36
7.34
7.32
7.31
7.29
7.28
7.26 CDCl3
6.94
4.17
4.15
4.13
4.11
2.46
1.12
1.10
1.08
6.98
2.14
0.90
2.11
3.00
3.17
f1 (ppm)

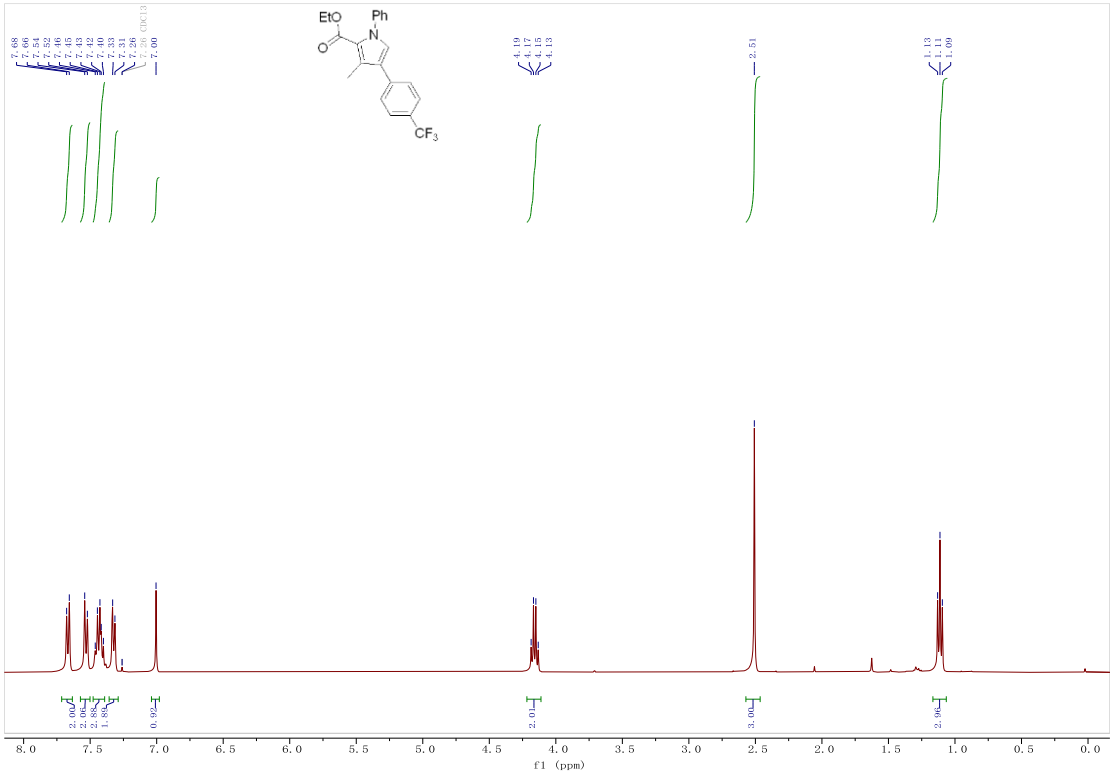

161.33
141.26
133.39
132.90
129.81
128.64
128.61
127.77
127.58
126.58
126.08
125.35
121.91
59.83
14.01
12.03
EtO
Ph
N
O
Cl
f1 (ppm)

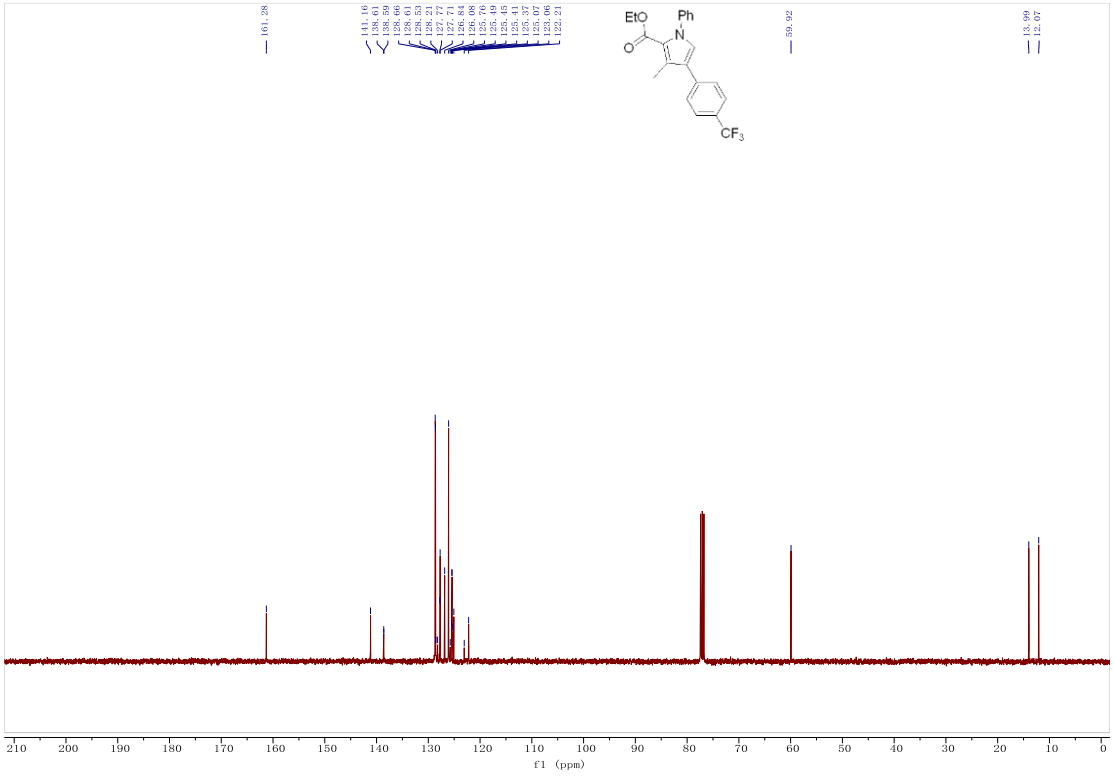
4ah

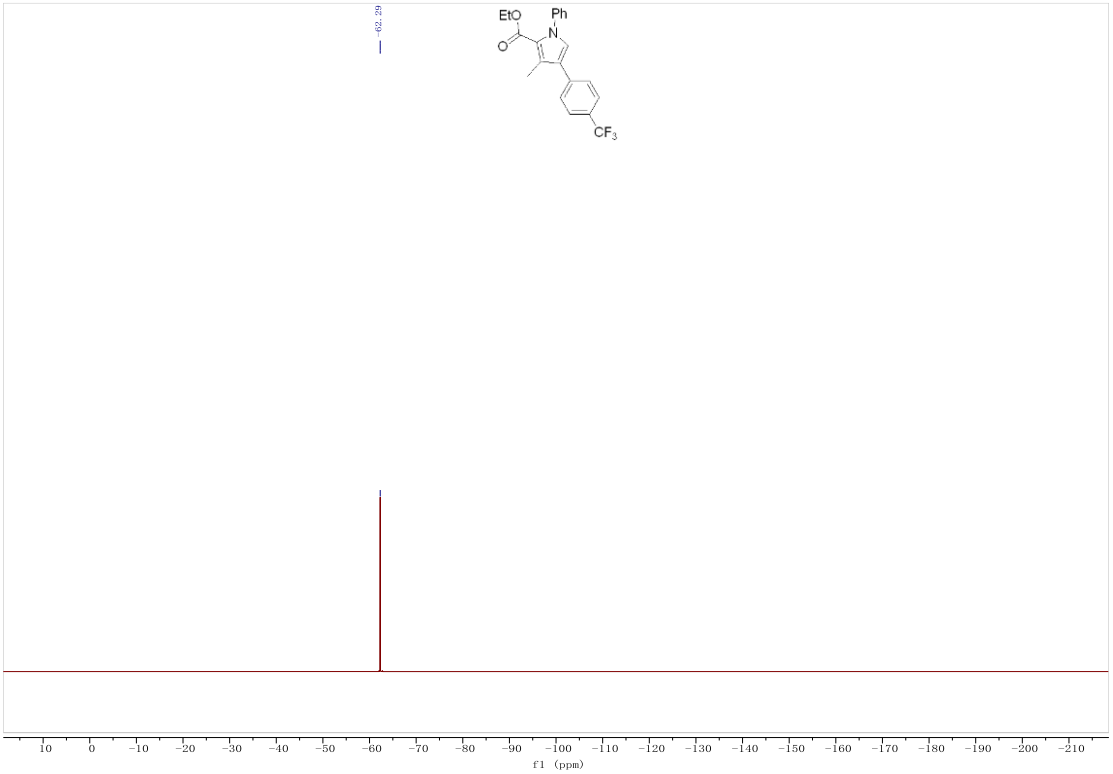

EtO
Ph
N
O
Br
7.53
7.51
7.43
7.42
7.41
7.40
7.40
7.38
7.31
7.30
7.30
7.29
7.29
6.94
4.17
4.15
4.13
4.12
2.46
1.12
1.10
1.08
2.02
1.11
3.10
4.05
1.00
2.04
3.00
3.10
f1 (ppm)


161.32
141.24
133.77
131.69
130.16
128.62
127.73
127.61
126.55
126.08
125.24
121.93
120.38
59.85
14.03
12.06
EtO
Ph
N
O
Br
f1 (ppm)

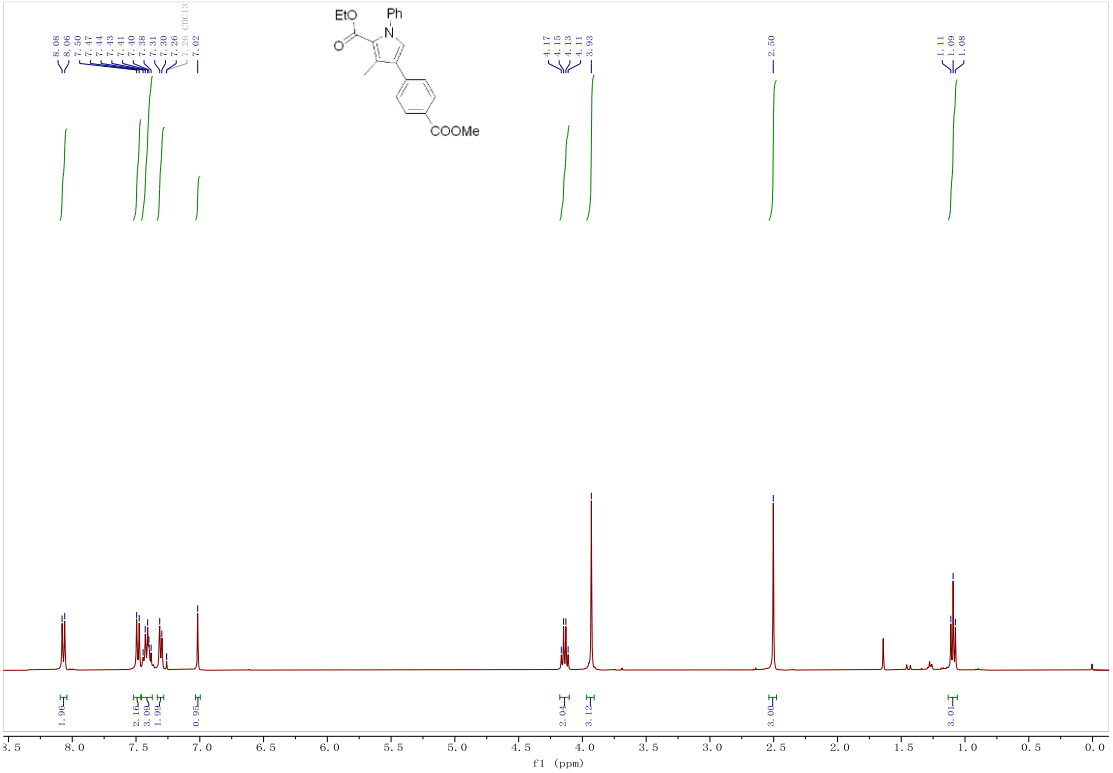
4ai

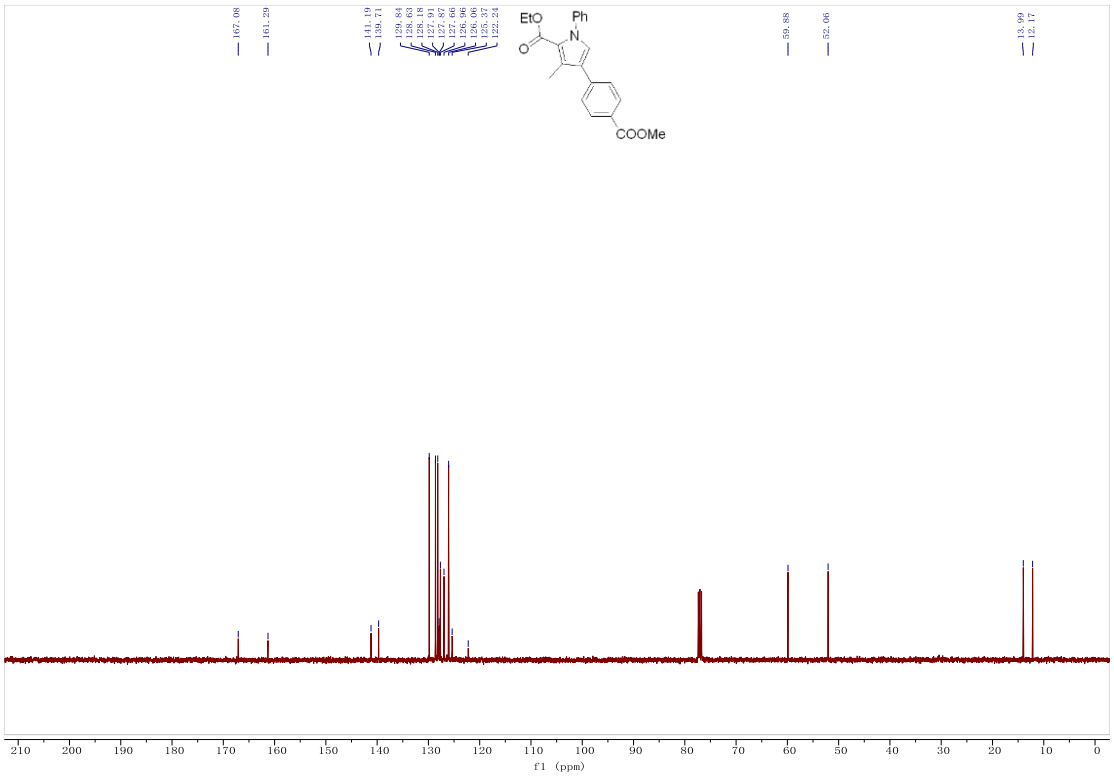

EtO
Ph
N
O
CF3
7.68
7.66
7.54
7.52
7.46
7.45
7.43
7.42
7.40
7.33
7.31
7.26
7.00
4.19
4.17
4.15
4.13
2.51
1.13
1.11
1.09
2.00
2.04
2.88
1.80
0.92
2.01
3.00
2.94
f1 (ppm)


161.28
141.16
138.61
138.59
128.66
128.61
128.53
128.21
127.77
127.71
126.84
126.08
125.76
125.49
125.45
125.41
125.37
125.07
123.86
122.21
EtO
Ph
N
O
CF3
59.92
13.99
12.07
f1 (ppm)

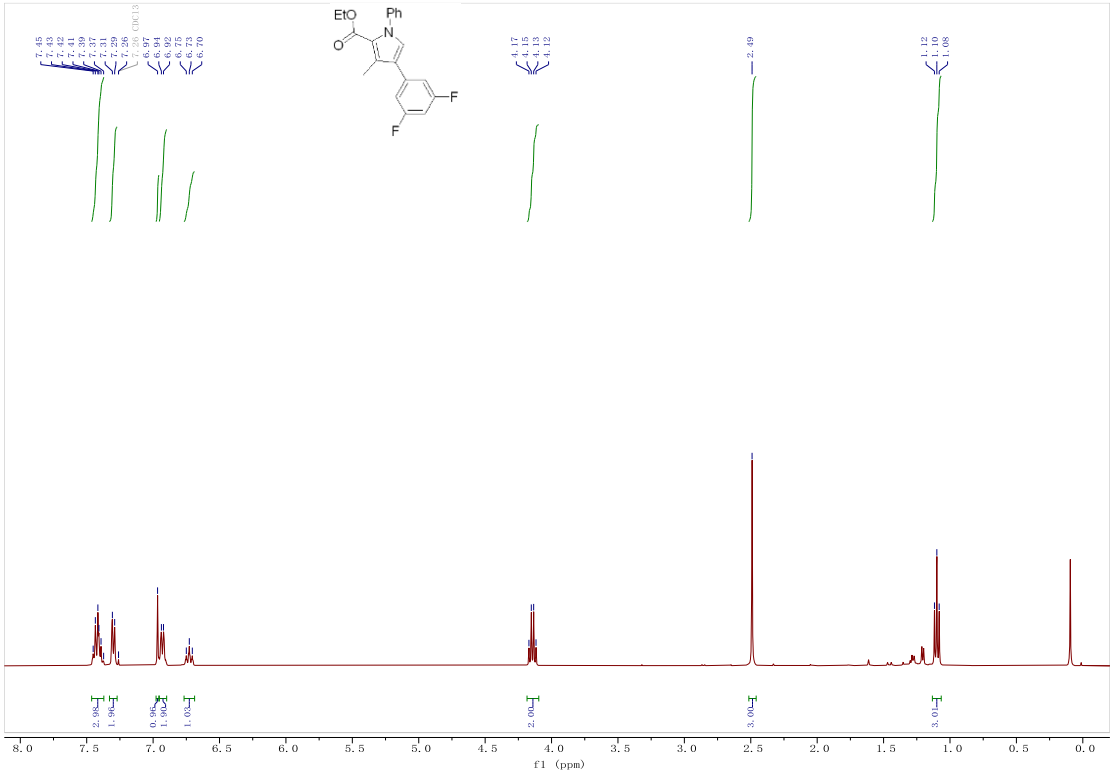

-62.29
EtO
Ph
N
O
CF3
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

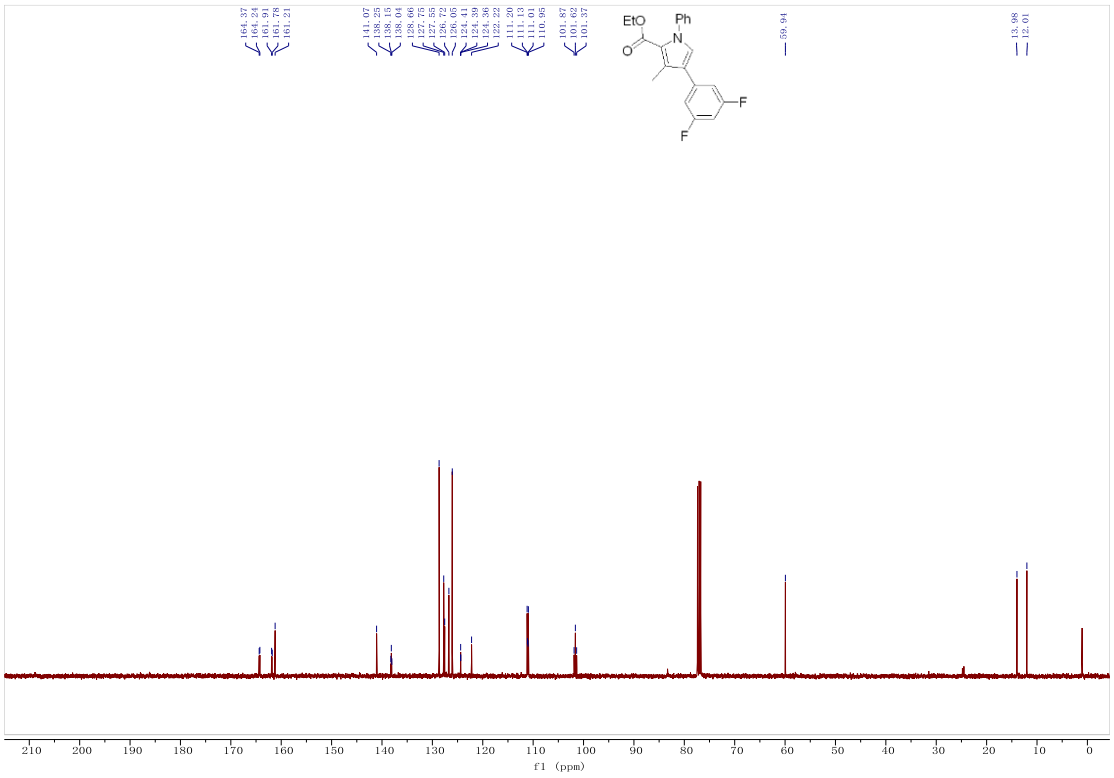
4aj

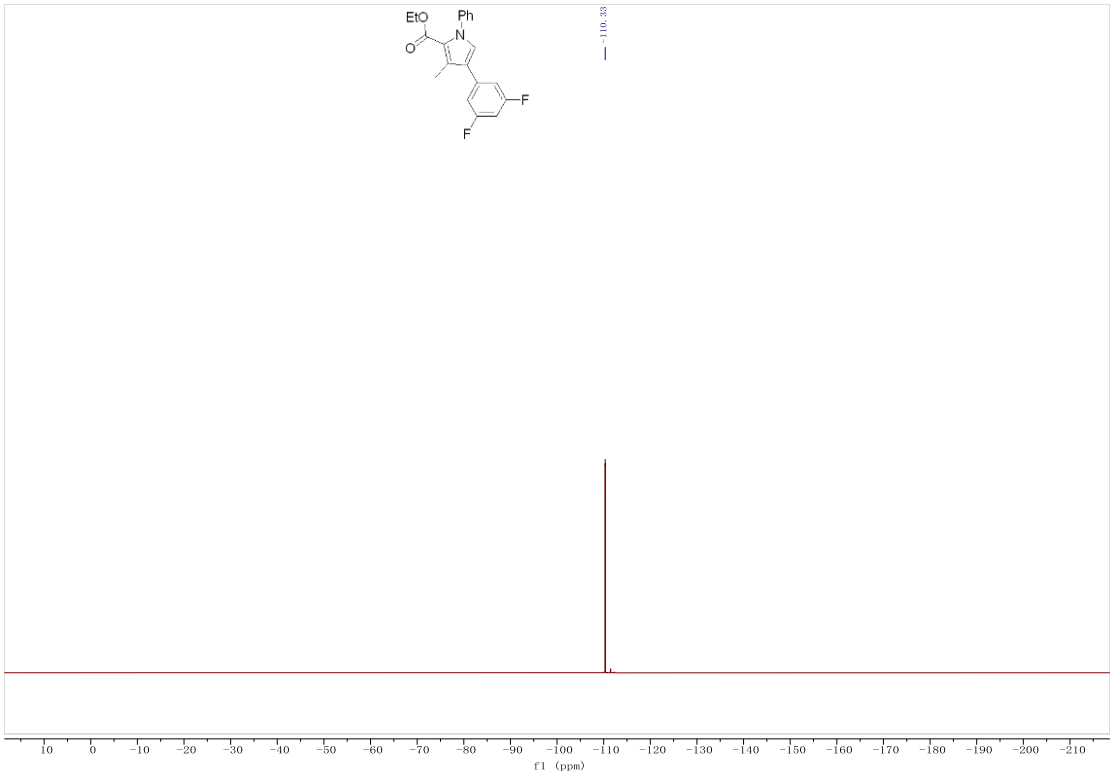

8.08
8.06
7.50
7.47
7.44
7.43
7.41
7.40
7.38
7.31
7.30
7.26
7.02
CDCl3
EtO
Ph
N
O
COOMe
4.17
4.15
4.13
4.11
3.93
2.50
1.11
1.09
1.08
1.9
2.1
3.6
1.9
0.9
2.0
3.1
3.0
3.0
3.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


EtO
Ph
N
O
COOMe
167.08
161.29
144.19
138.71
129.84
128.63
128.16
127.91
127.87
127.66
126.85
126.06
125.37
122.24
59.88
52.06
13.99
12.17
f1 (ppm)

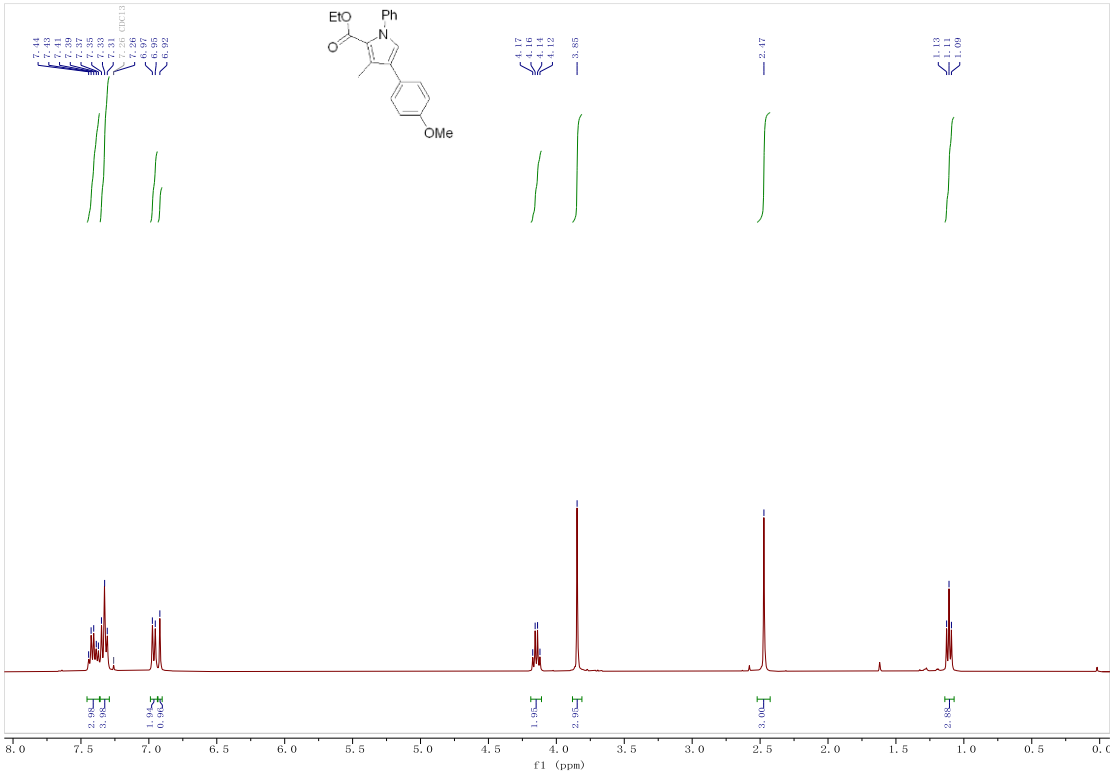
4ak

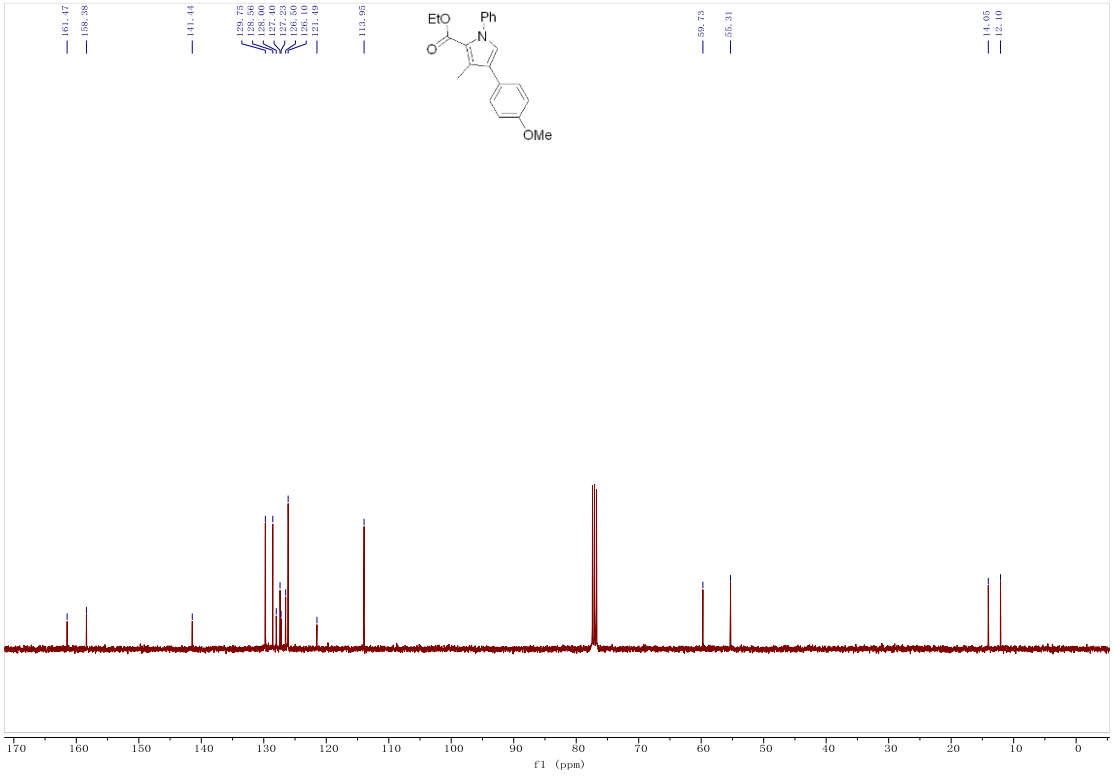

EtO
Ph
N
O
F
F
7.45
7.43
7.42
7.41
7.39
7.37
7.31
7.29
7.26
CDCl3
6.97
6.94
6.92
6.75
6.73
6.70
4.17
4.15
4.13
4.12
2.49
1.12
1.10
1.08
2.98
1.94
0.99
1.90
1.03
2.00
3.01
3.01
f1 (ppm)


164.37
164.24
161.91
161.78
161.21
141.07
138.25
138.15
138.04
128.66
127.75
127.55
126.72
126.05
124.41
124.39
124.36
122.22
111.20
111.13
111.04
110.95
101.87
101.62
101.37
59.94
13.98
12.01
EtO
Ph
N
O
F
F
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

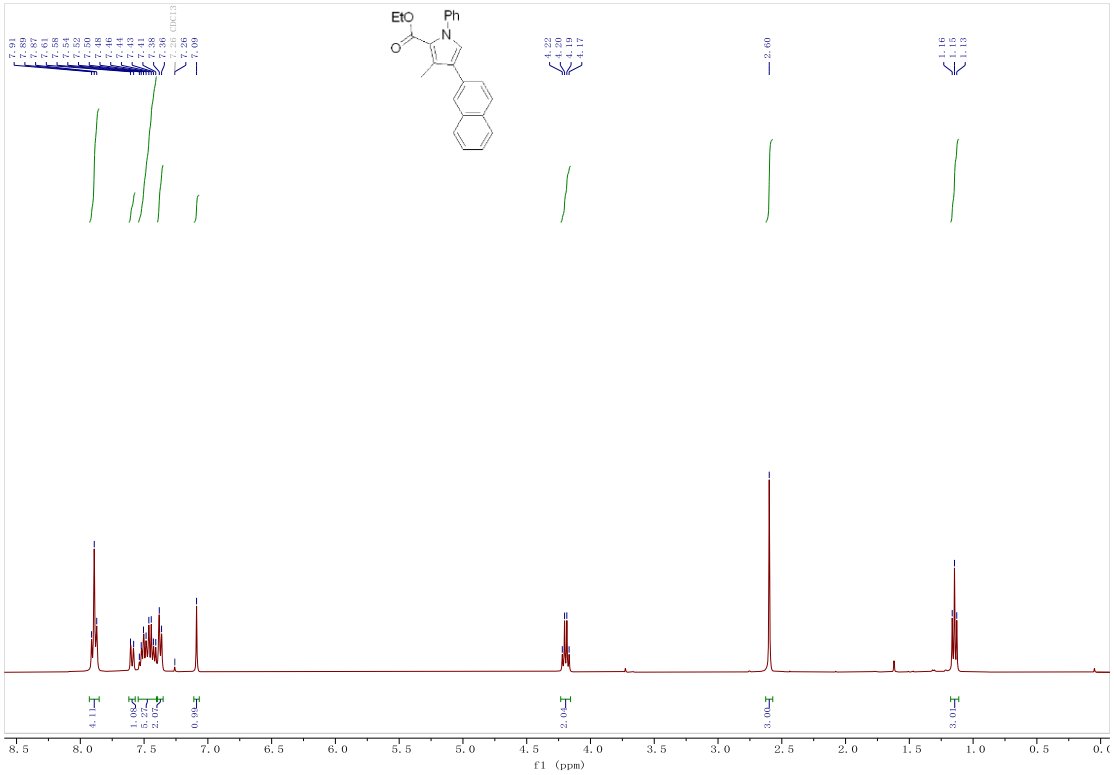

-110.33
EtO
Ph
N
O
F
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

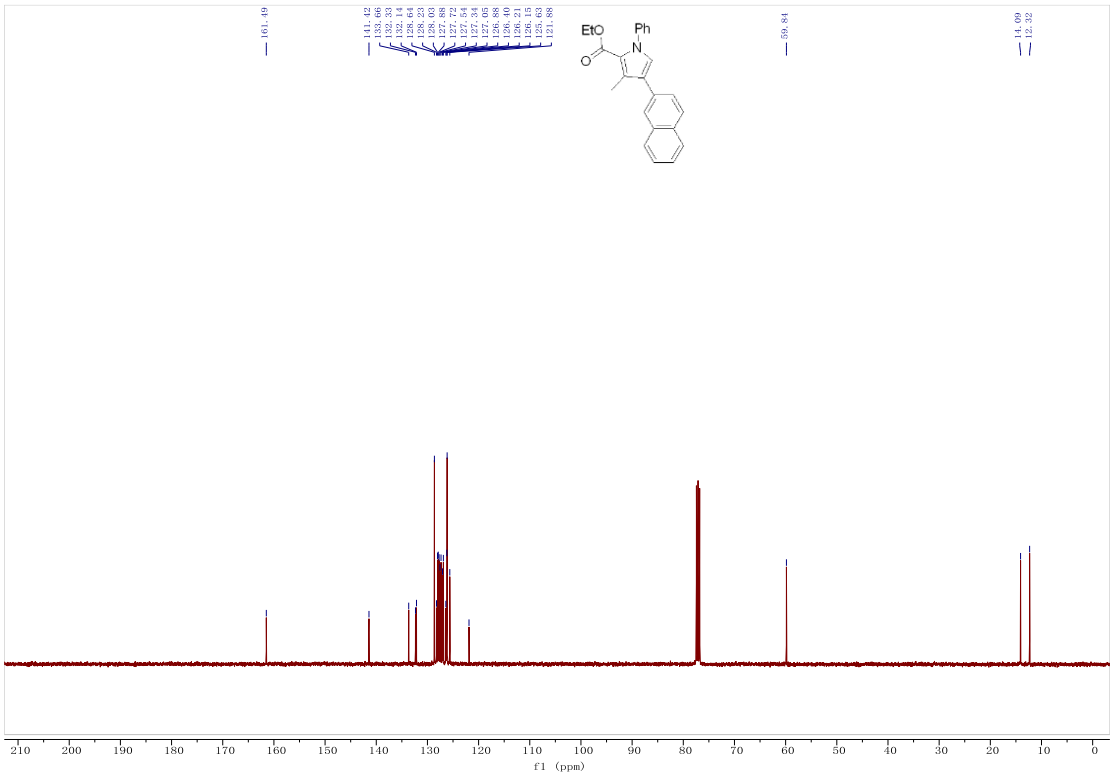
4ap


EtO
Ph
N
O
OMe
7.44
7.43
7.41
7.39
7.37
7.35
7.33
7.31
7.26 CDCl3
6.97
6.95
6.92
4.17
4.16
4.14
4.12
3.85
2.47
1.13
1.11
1.09
2.96
3.96
1.94
0.96
1.96
2.95
3.00
2.88
f1 (ppm)


161.47
158.38
141.44
129.75
128.56
128.00
127.40
127.23
126.50
121.49
113.95
59.73
55.31
14.05
12.10
EtO
Ph
N
O
OMe
f1 (ppm)

4am


EtO
Ph
N
O
7.91
7.89
7.87
7.68
7.58
7.54
7.52
7.50
7.48
7.46
7.44
7.43
7.41
7.38
7.36
7.28 CDCl3
7.09
4.22
4.20
4.19
4.17
2.60
1.16
1.15
1.13
4.14
1.08
5.27
2.02
0.94
2.04
3.00
3.01
f1 (ppm)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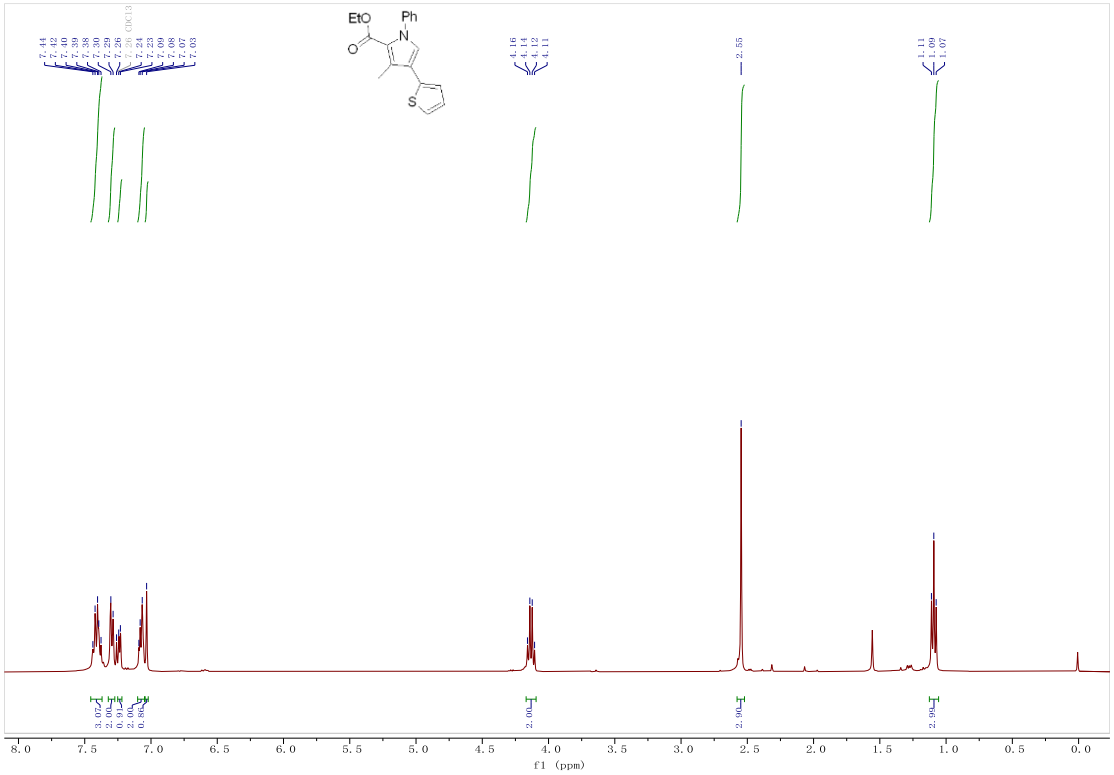
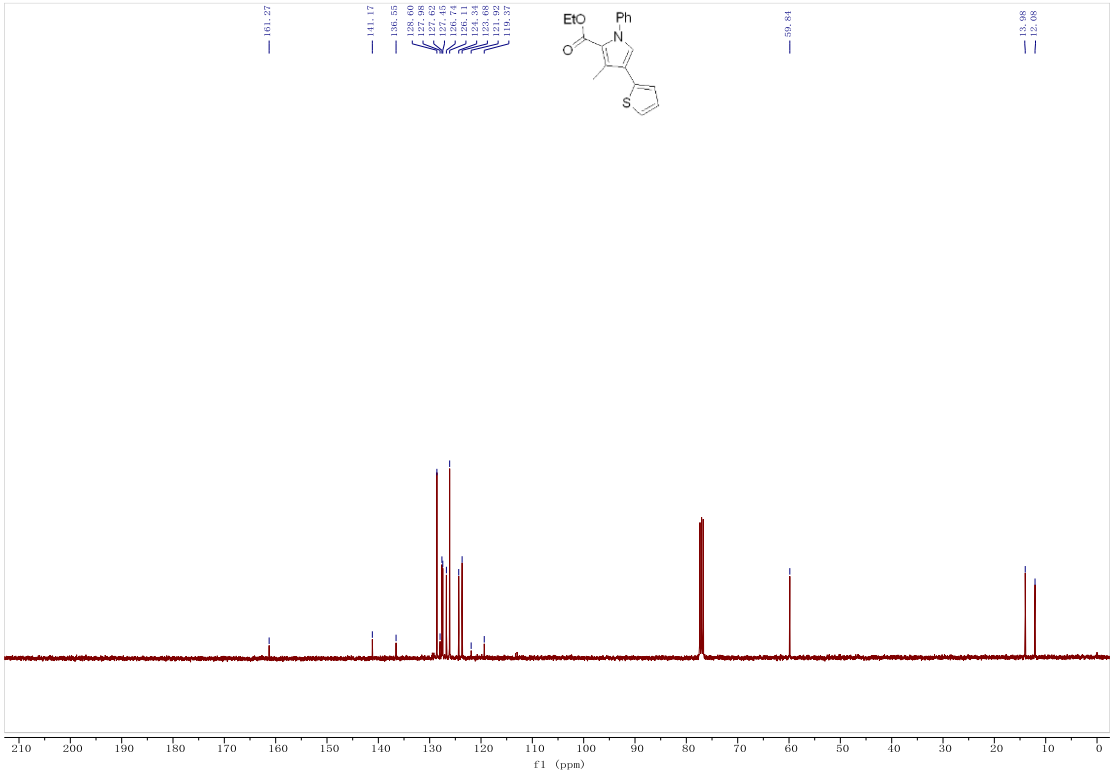
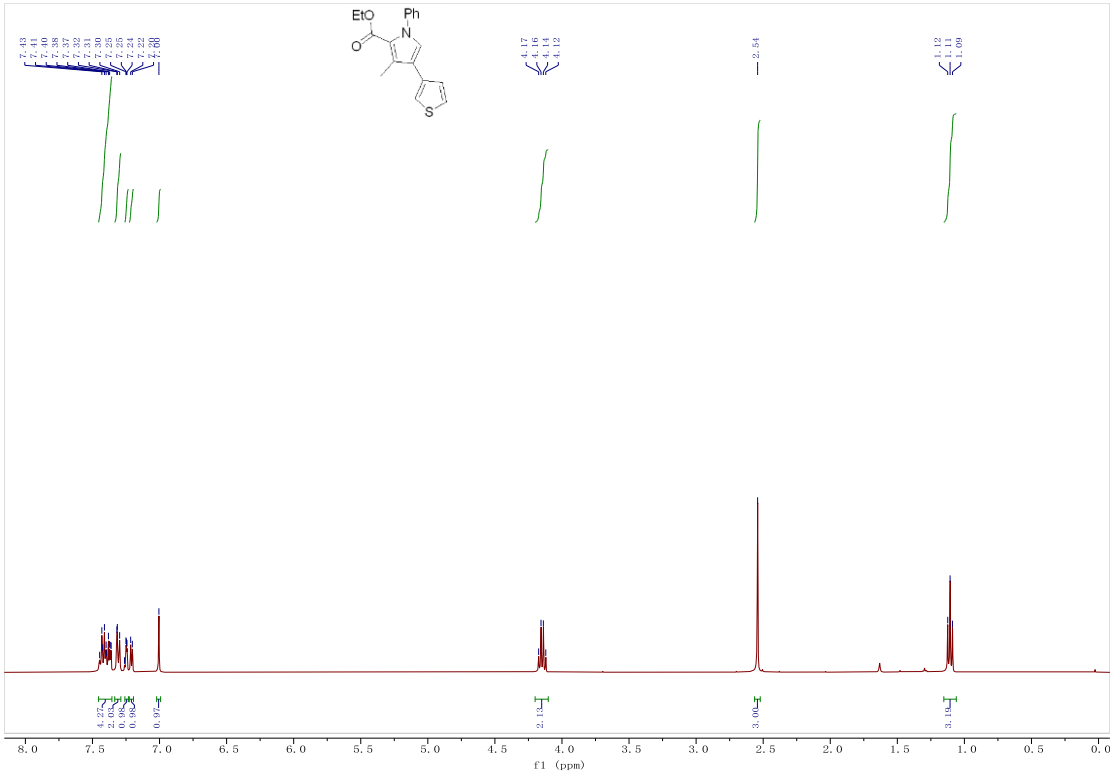
133.66
132.33
132.14
128.64
128.33
128.03
127.88
127.72
127.64
127.34
127.05
126.88
126.40
126.21
126.15
125.63
121.88
59.84
14.09
12.32
EtO
Ph
N
O
f1 (ppm)

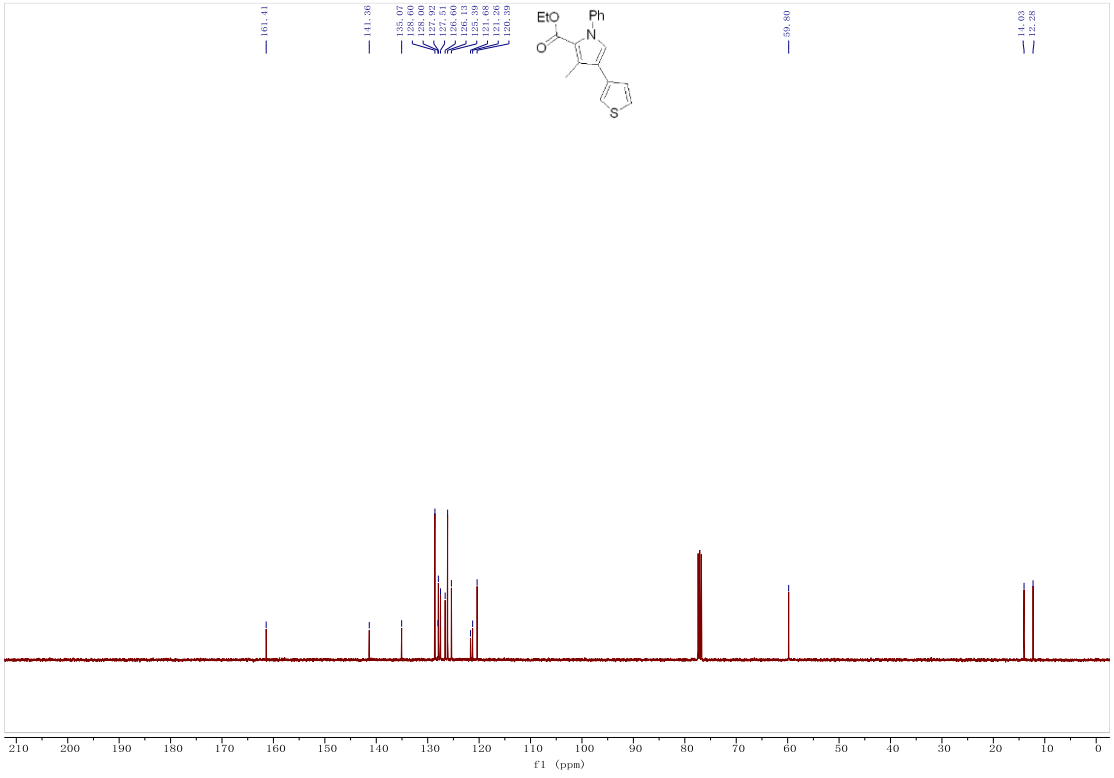
4an


EtO
Ph
N
O
S
7.44
7.42
7.40
7.39
7.38
7.30
7.29
7.26
7.24
7.23
7.09
7.08
7.07
7.03
4.16
4.14
4.12
4.11
2.55
1.11
1.09
1.07
3.02
2.00
0.91
2.00
0.98
2.00
2.90
2.94
f1 (ppm)

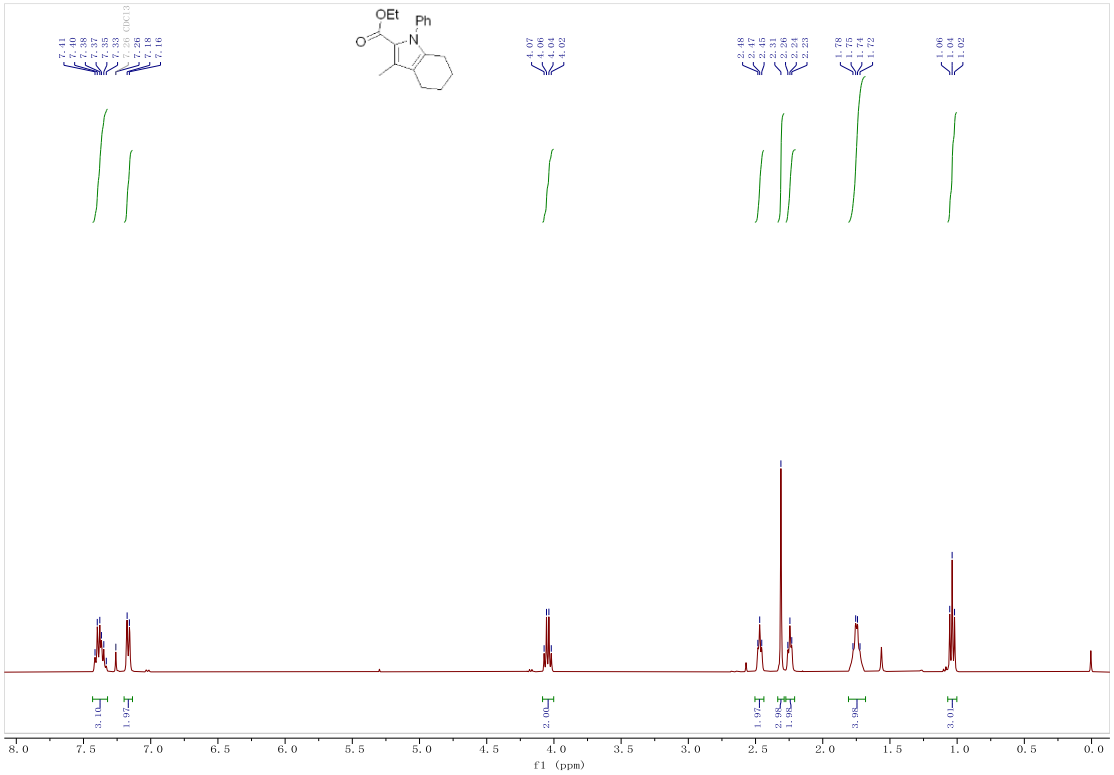

161.27
141.17
136.55
128.60
127.98
127.62
127.45
126.74
126.11
124.34
123.68
121.92
119.37
EtO
Ph
N
O
S
59.84
13.98
12.08
f1 (ppm)

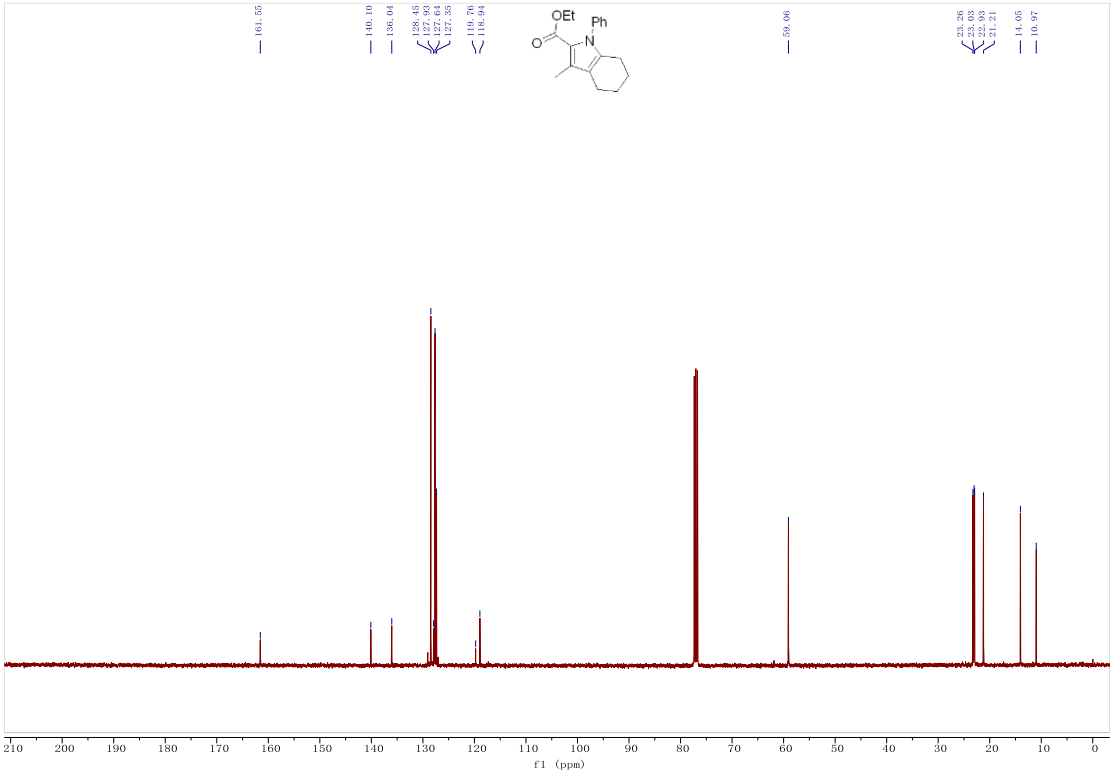
4ao


EtO
O
Ph
N
S
7.43
7.41
7.40
7.38
7.37
7.32
7.31
7.30
7.25
7.24
7.22
7.20
4.17
4.16
4.14
4.12
2.54
1.12
1.11
1.09
4.27
2.03
0.98
0.96
0.97
2.13
3.00
3.10
f1 (ppm)

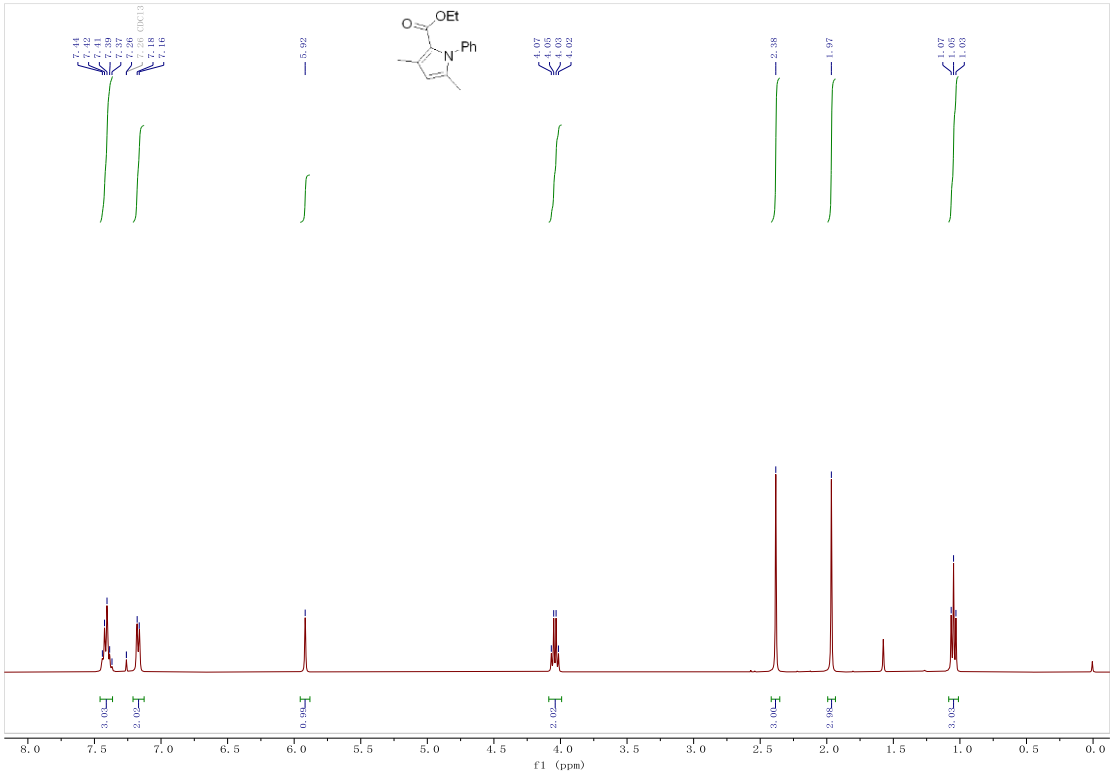

EtO
O
Ph
N
S
161.41
141.36
135.07
128.60
128.00
127.92
127.51
126.69
126.13
125.39
121.68
121.26
120.39
59.80
14.03
12.28
f1 (ppm)

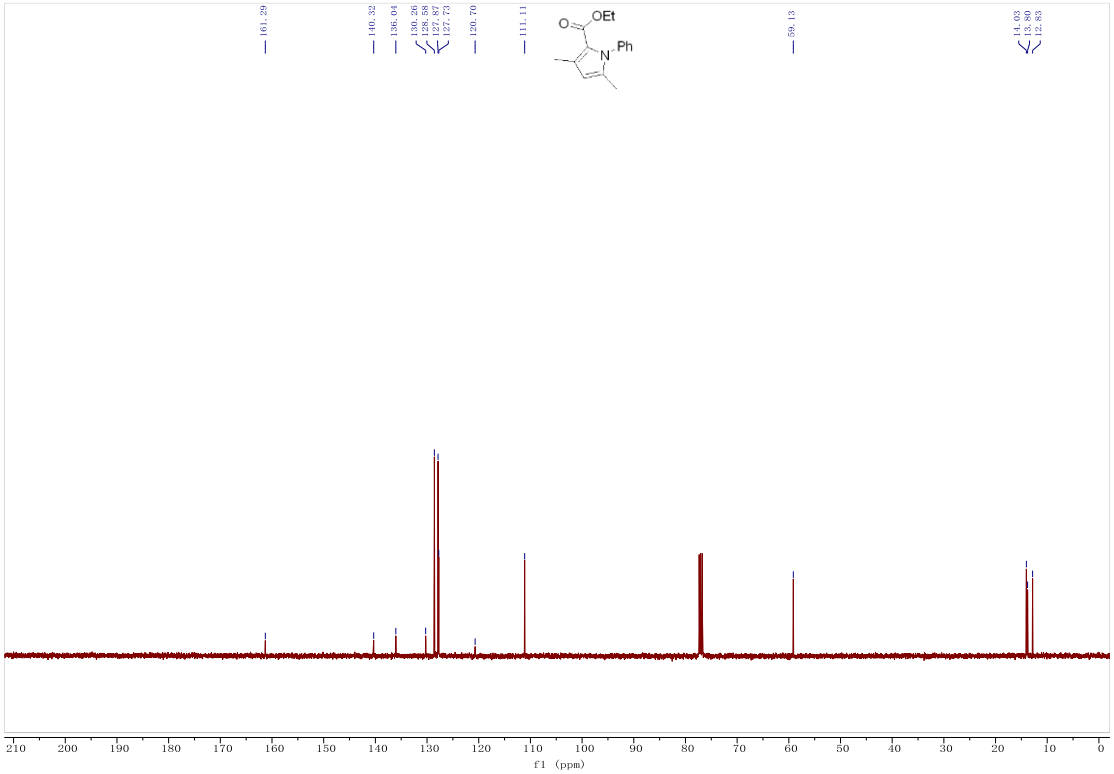
4aq


OEt
Ph
N
O
7.41
7.40
7.38
7.37
7.35
7.33
7.26
7.18
7.16
CDCl3
4.07
4.06
4.04
4.02
2.48
2.47
2.45
2.31
2.26
2.24
2.23
1.78
1.75
1.74
1.72
1.06
1.04
1.02
3.10
1.97
2.00
1.97
2.98
1.98
3.98
3.01
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
f1 (ppm)

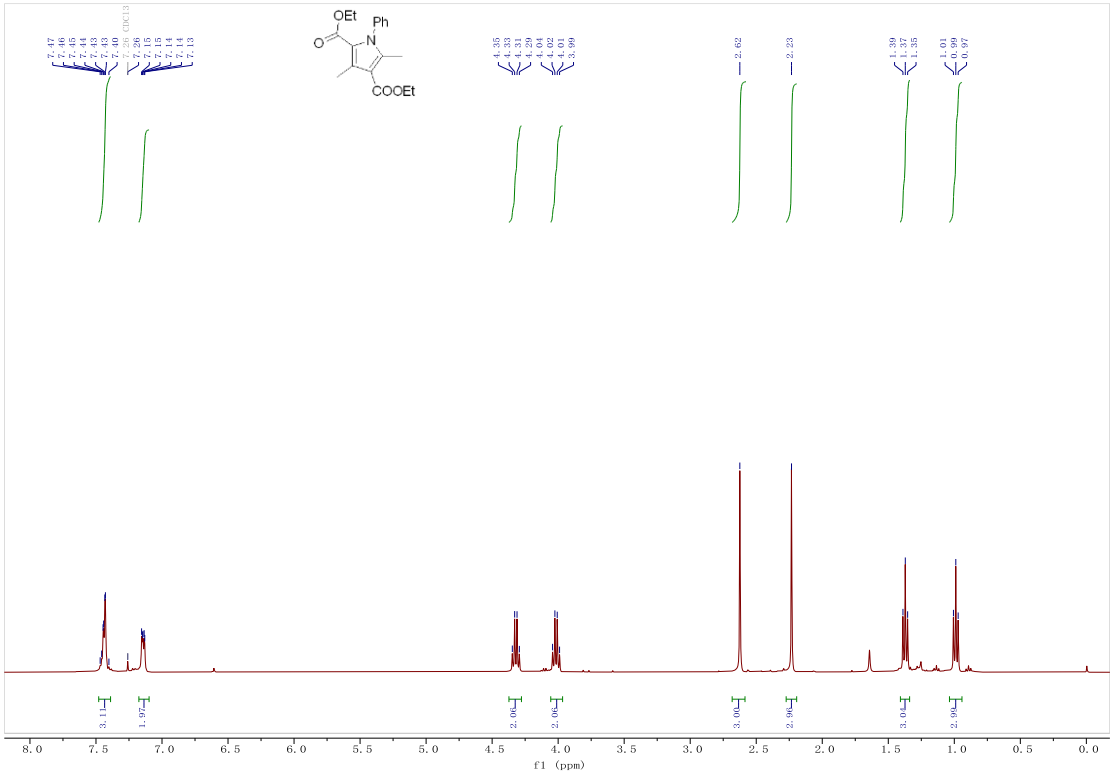

161.55
140.10
136.04
128.45
127.93
127.64
127.35
119.76
118.94
59.06
23.26
23.03
21.93
21.21
14.05
10.97
OEt
Ph
N
O
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

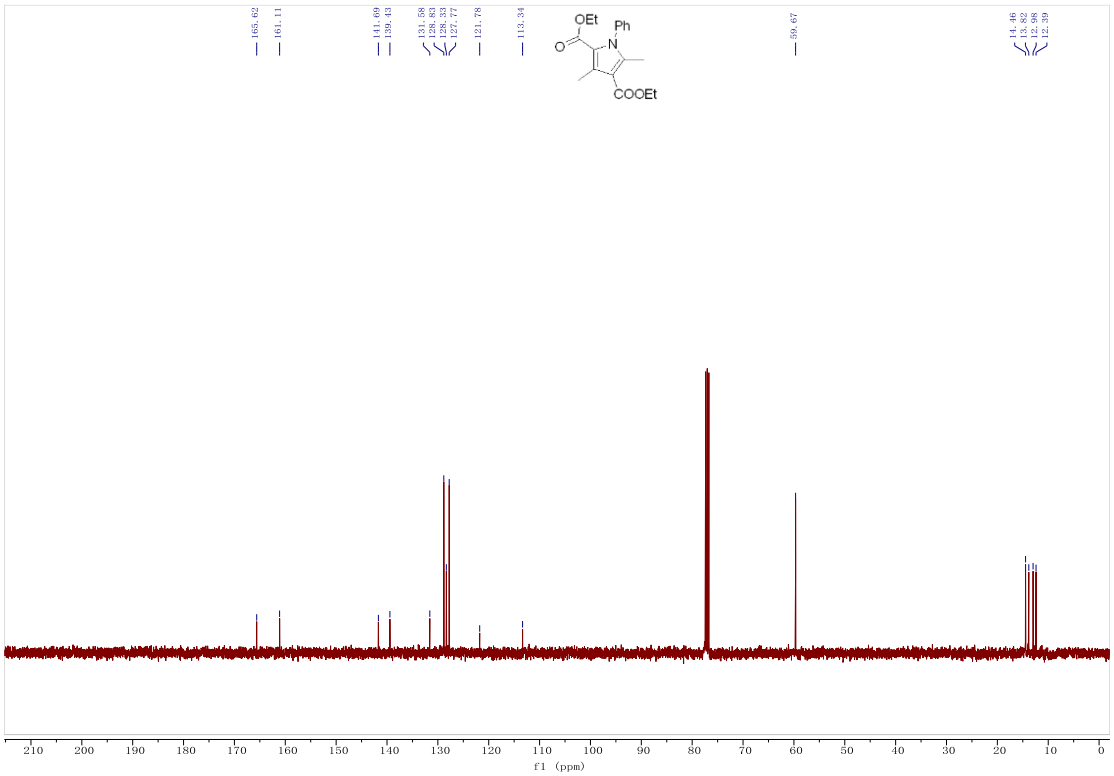
4ar


OEt
Ph
7.44
7.42
7.41
7.39
7.37
7.26
7.18
7.16
5.92
4.07
4.05
4.03
4.02
2.38
1.97
1.07
1.05
1.03
3.04
2.02
0.99
2.02
3.00
2.98
3.04
f1 (ppm)

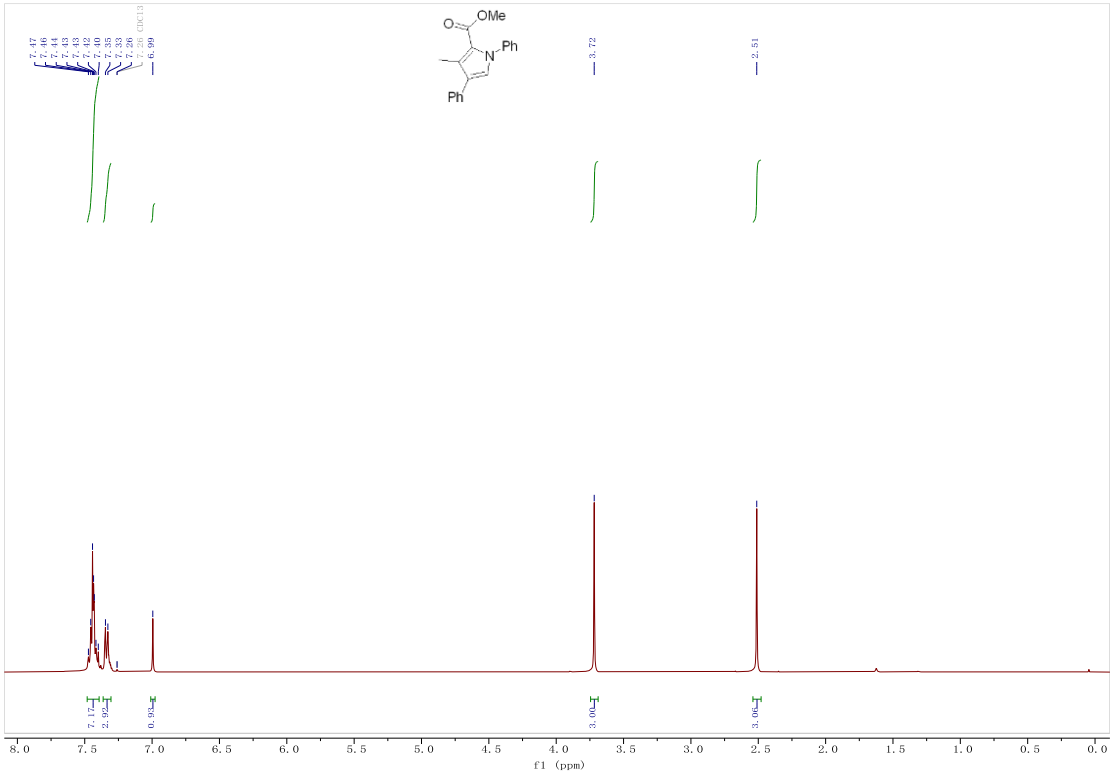

OEt
Ph
161.29
140.32
136.04
130.26
128.58
127.97
127.73
120.70
111.11
59.13
14.03
13.80
12.83
f1 (ppm)

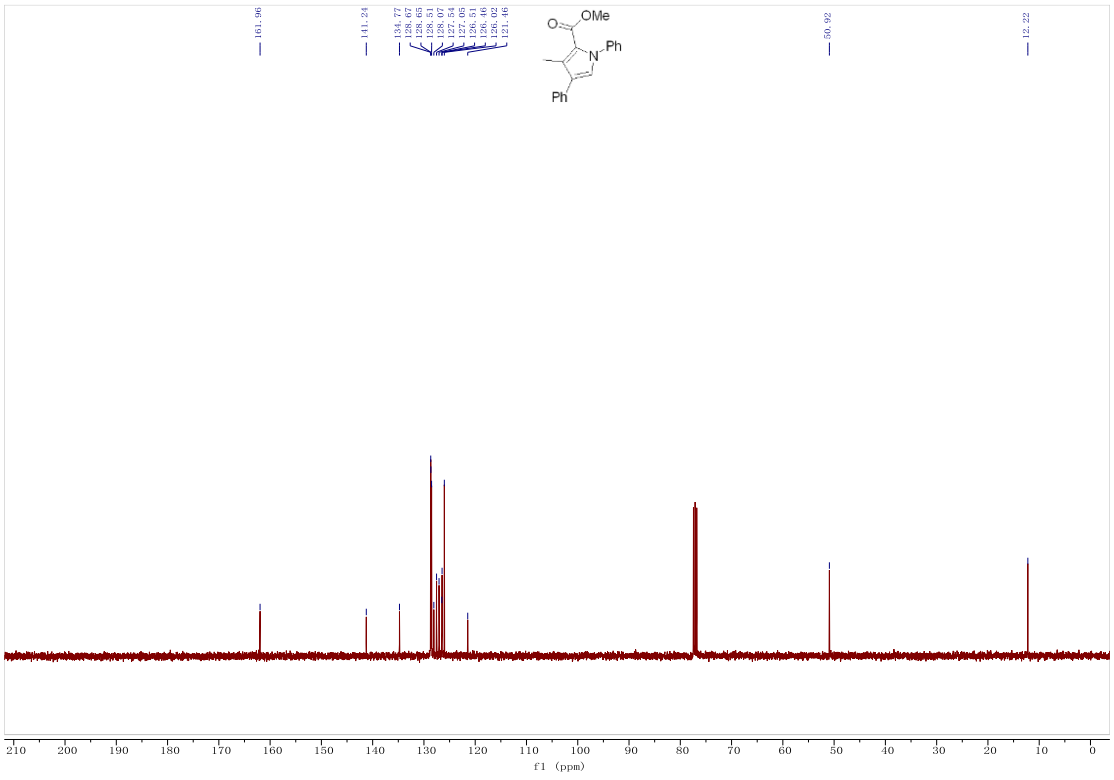
4as


OEt
Ph
N
O
COOEt
7.47
7.46
7.45
7.44
7.43
7.43
7.40
CDCl3
7.26
7.15
7.15
7.14
7.14
7.13
4.35
4.33
4.31
4.29
4.04
4.02
4.00
3.99
2.62
2.23
1.39
1.37
1.35
1.01
0.99
0.97
3.11
1.97
2.06
2.06
3.00
2.94
3.04
2.90
f1 (ppm)

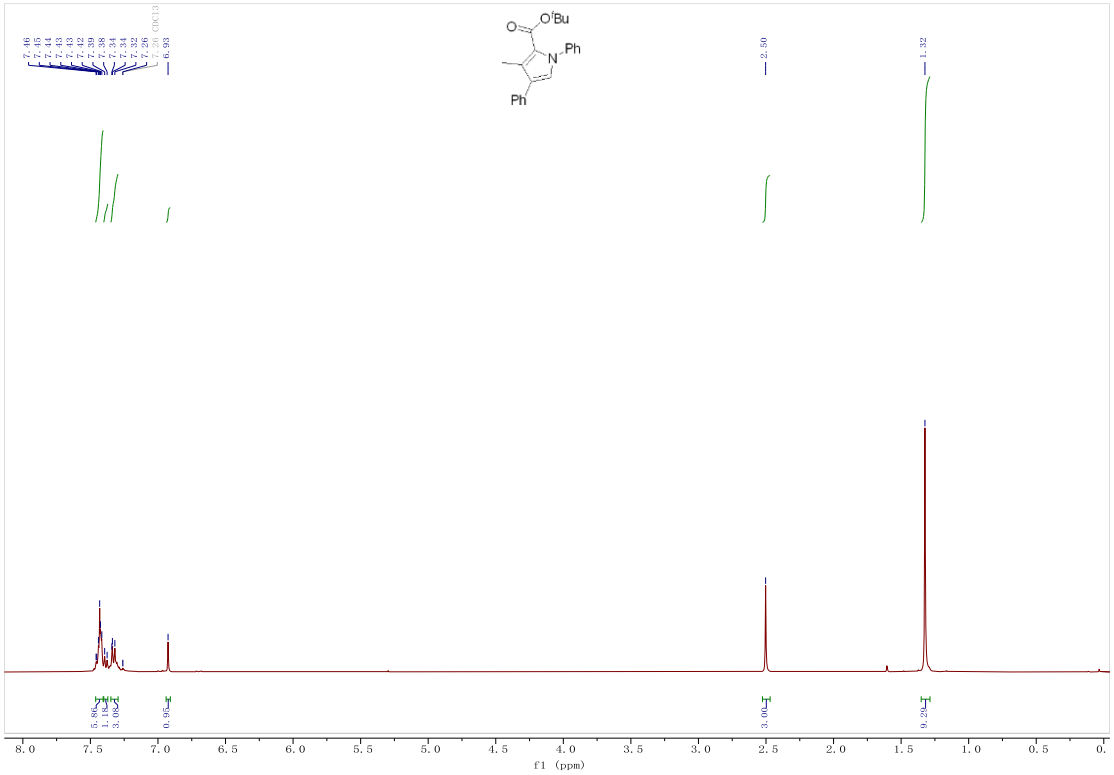

165.62
161.11
141.69
139.43
131.58
128.83
128.33
127.77
121.78
113.34
OEt
Ph
N
O
COOEt
59.67
14.46
13.52
12.98
12.39
f1 (ppm)

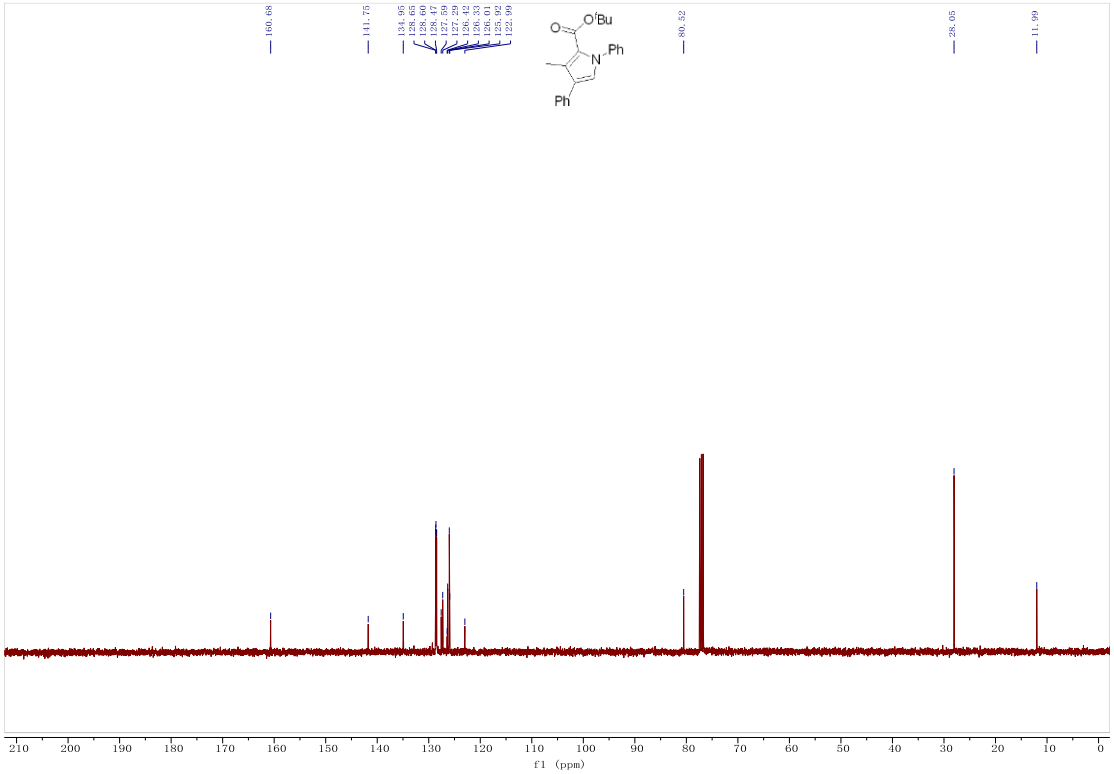
4ba


OMe
O
N
Ph
Ph
7.47
7.46
7.44
7.43
7.42
7.40
7.35
7.33
7.26
CDCl3
6.99
3.72
2.51
7.17
2.99
0.99
3.00
3.04
f1 (ppm)

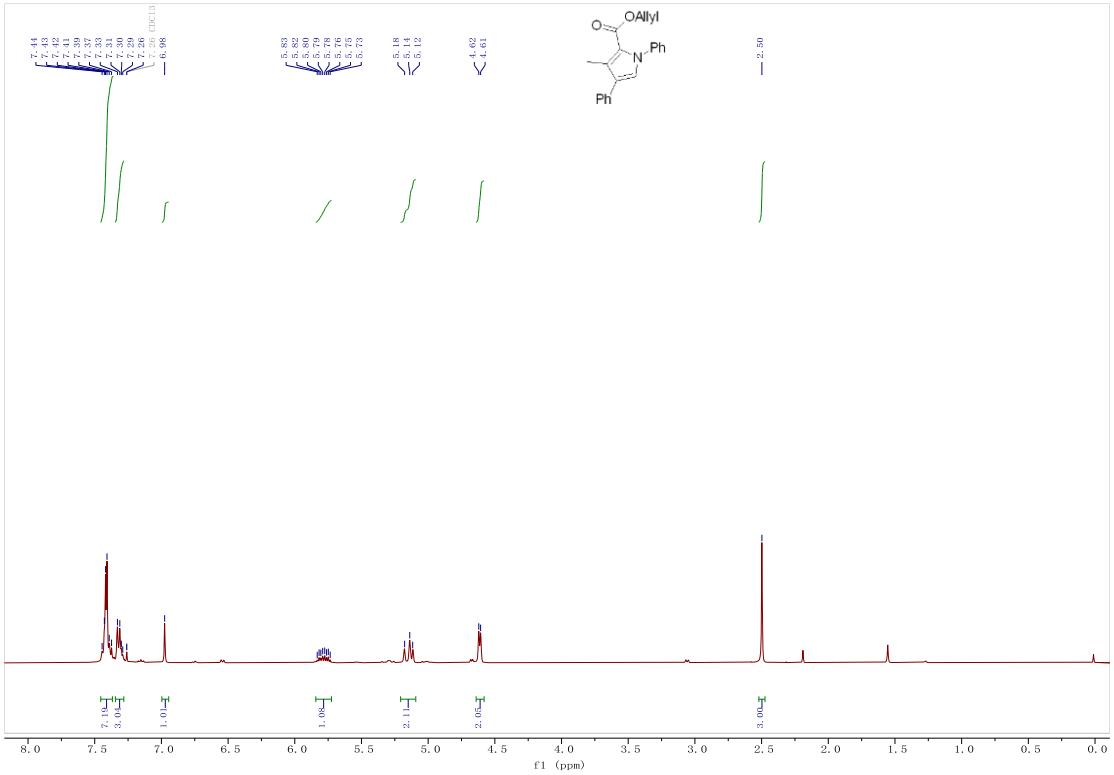

161.96
141.24
134.77
129.67
128.65
128.51
128.07
127.54
127.05
126.51
126.46
126.02
121.46
OMe
O
N
Ph
Ph
50.92
12.22
f1 (ppm)

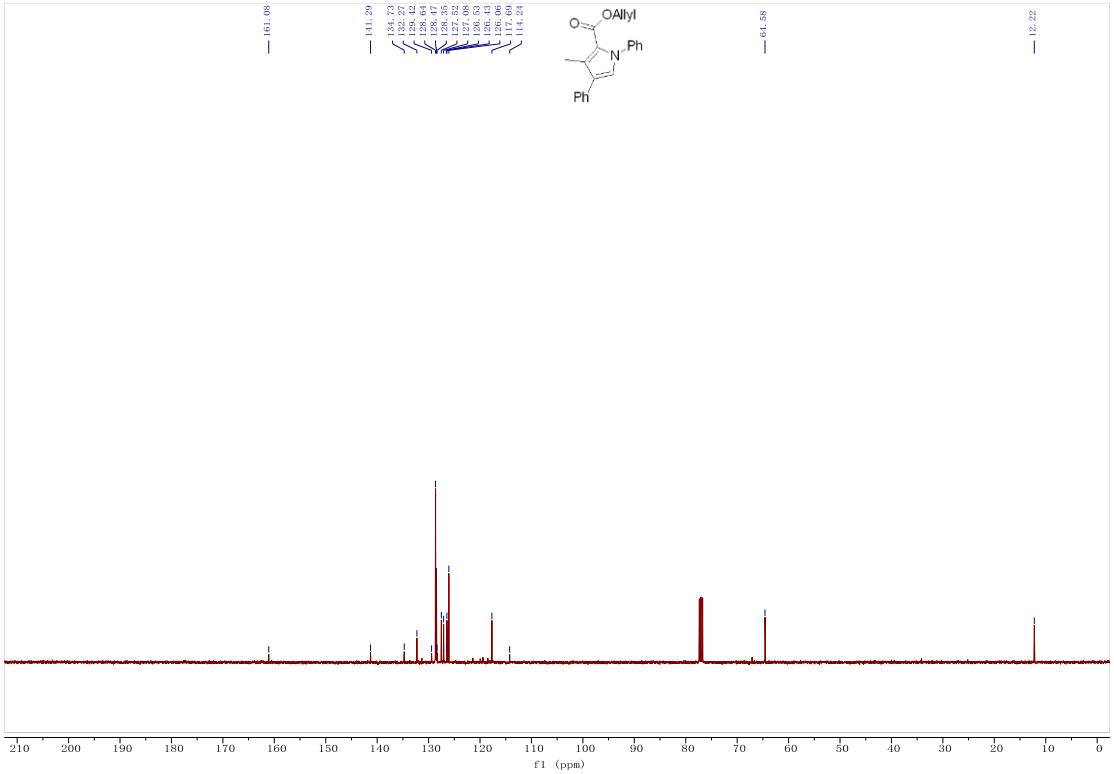
4ca


7.46
7.45
7.44
7.43
7.43
7.42
7.39
7.38
7.34
7.34
7.32
7.26
7.16
6.93
2.50
1.32
5.80
1.18
3.08
0.95
3.00
9.20
f1 (ppm)

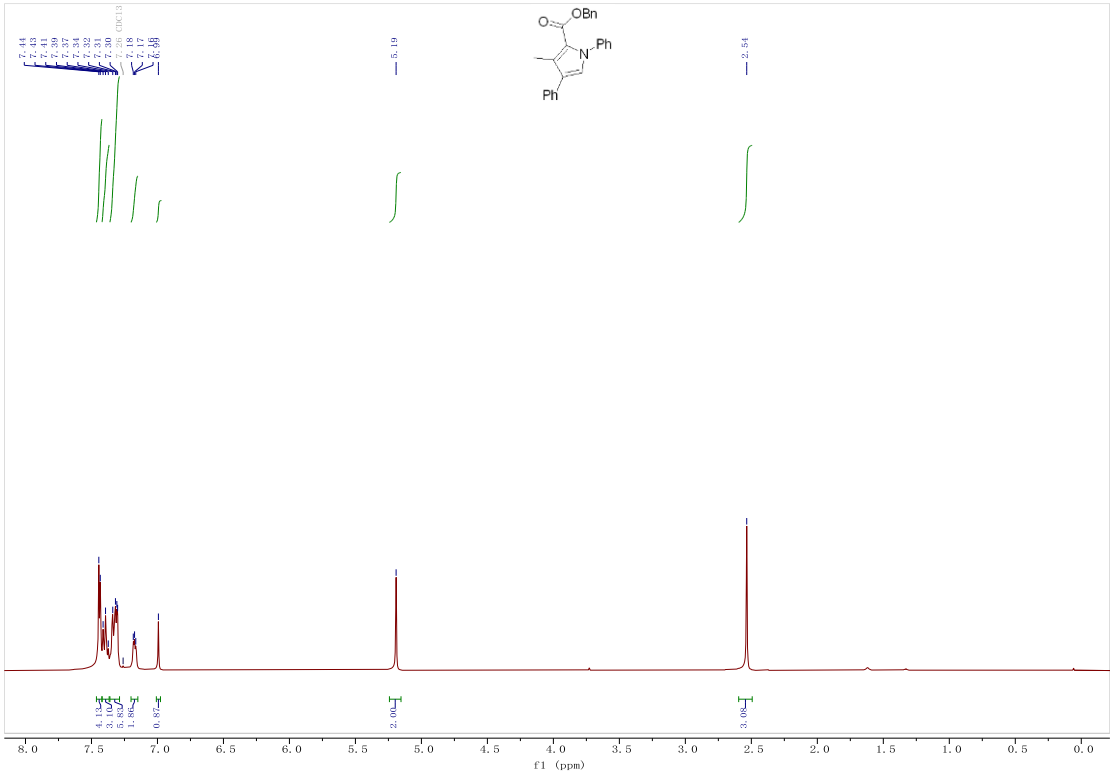

160.68
141.75
134.95
128.65
128.60
128.47
127.59
127.29
126.42
126.33
126.01
125.92
122.99
80.52
28.05
11.99
f1 (ppm)

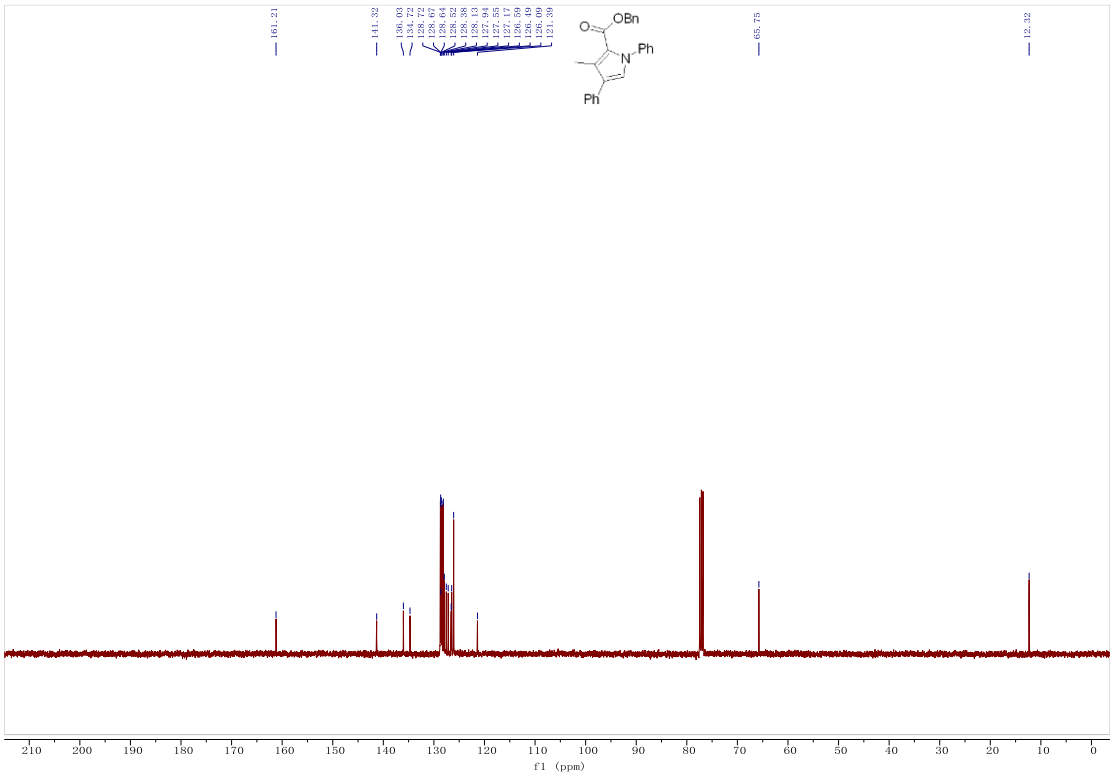
4da



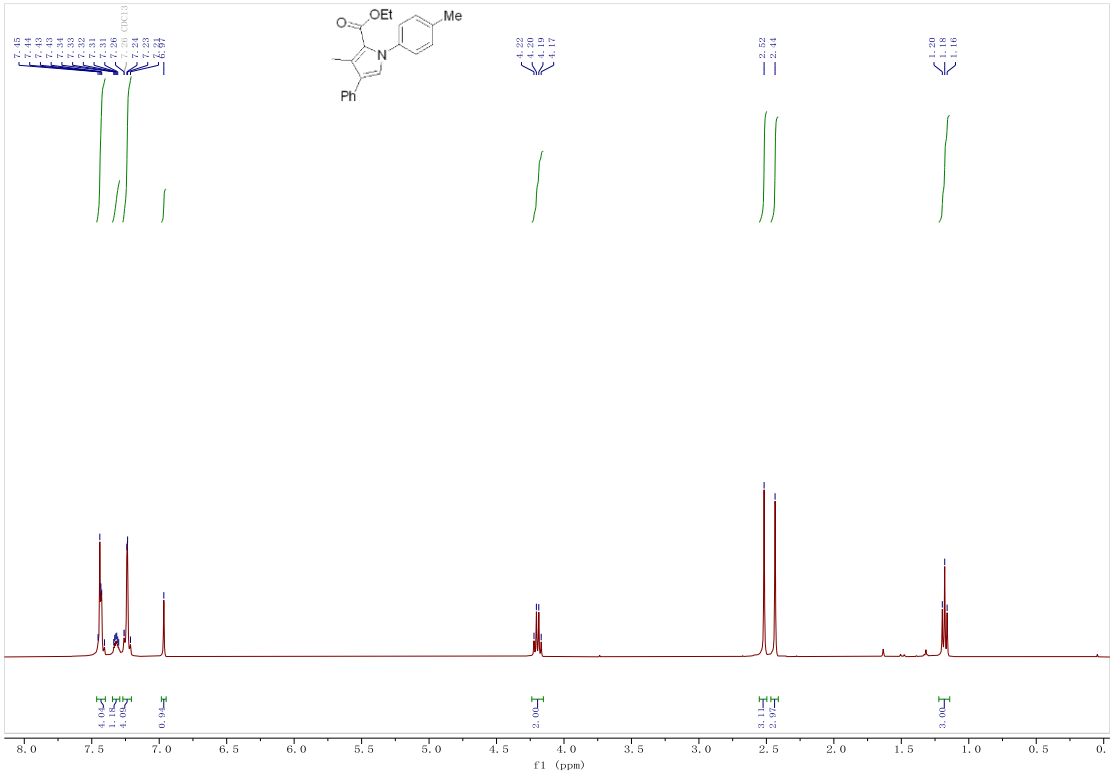


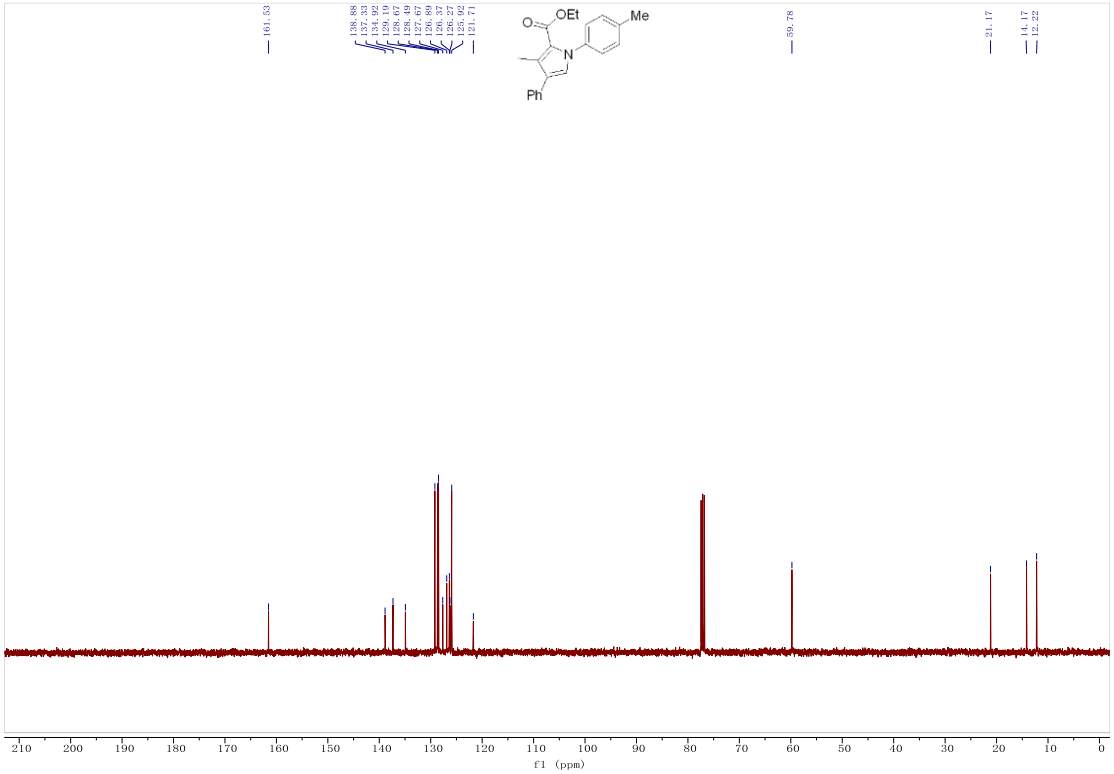
4ea


OBn
Ph
Ph
7.44
7.43
7.43
7.39
7.37
7.34
7.32
7.31
7.30
7.26 CDCl3
7.18
7.17
6.99
5.19
2.54
4.13
3.10
5.83
1.86
0.87
2.00
3.08
f1 (ppm)

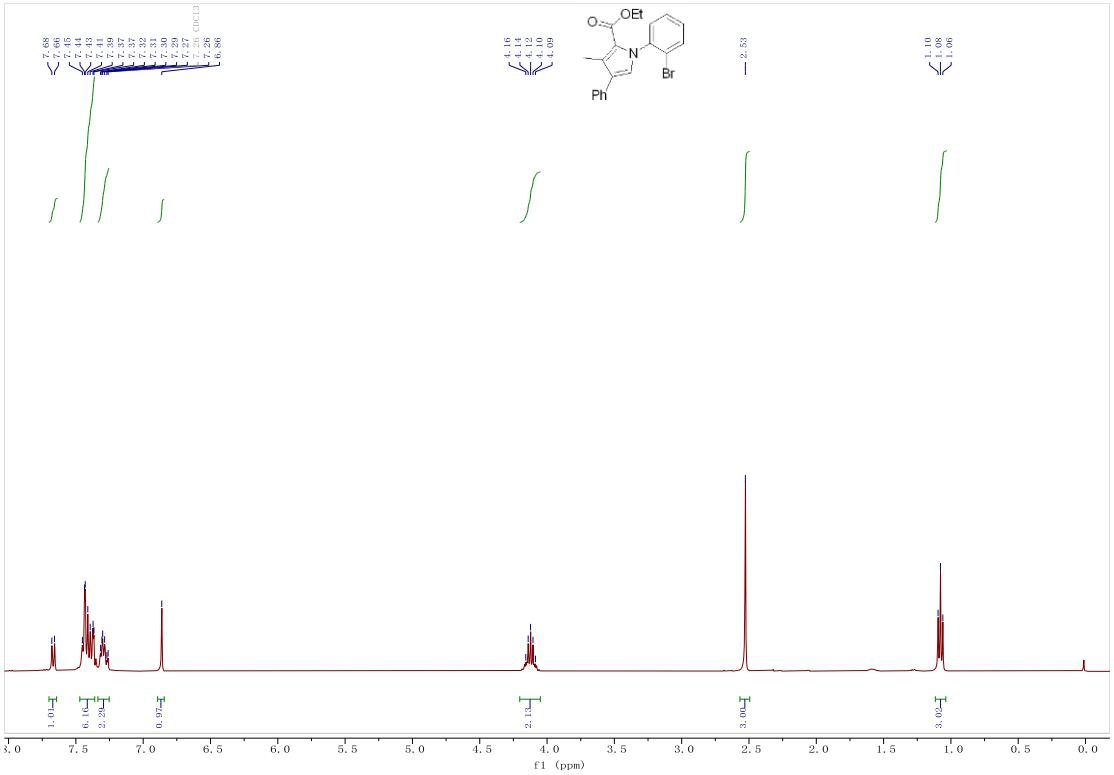

OBn
Ph
Ph
161.21
141.32
136.03
134.72
128.72
128.67
128.64
128.52
128.38
128.13
127.94
127.55
127.17
126.58
126.49
126.09
121.39
65.75
12.32
f1 (ppm)

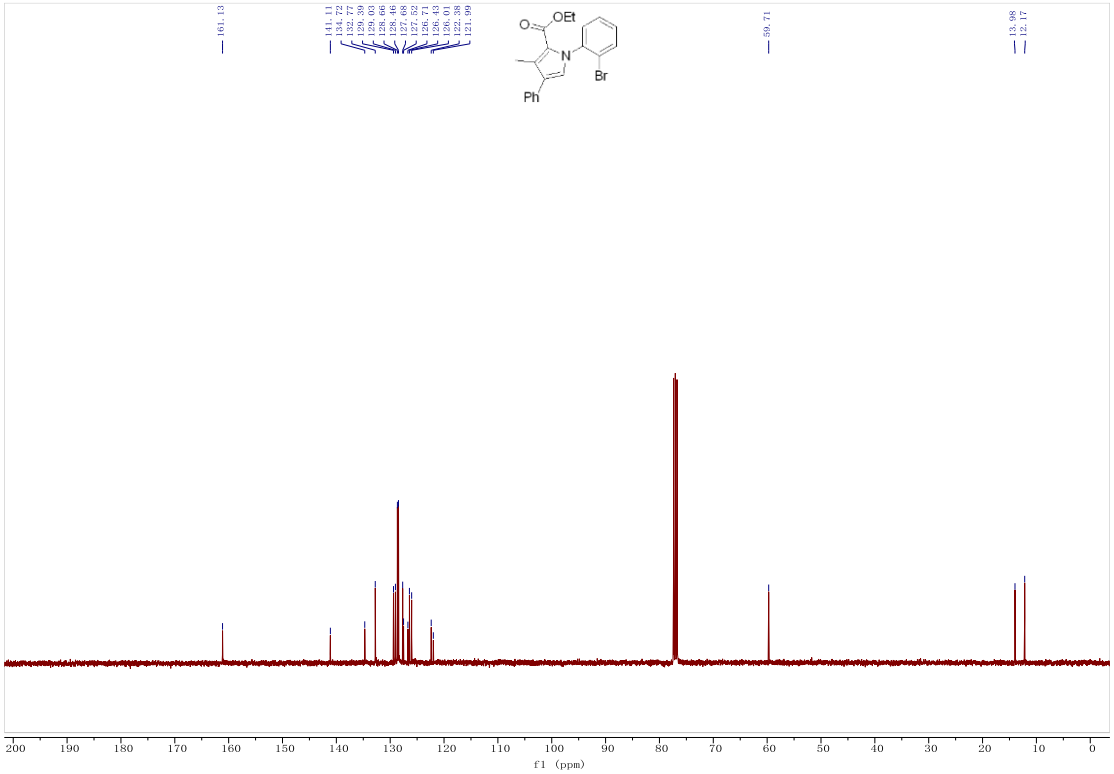
4ga


7.45
7.44
7.43
7.43
7.34
7.33
7.32
7.31
7.31
7.26 CDCl3
7.24
7.23
6.94
4.22
4.20
4.19
4.17
2.52
2.44
1.20
1.18
1.16
O
OEt
N
Me
Ph
1.04
1.00
4.00
0.94
2.00
3.11
2.97
3.00
f1 (ppm)

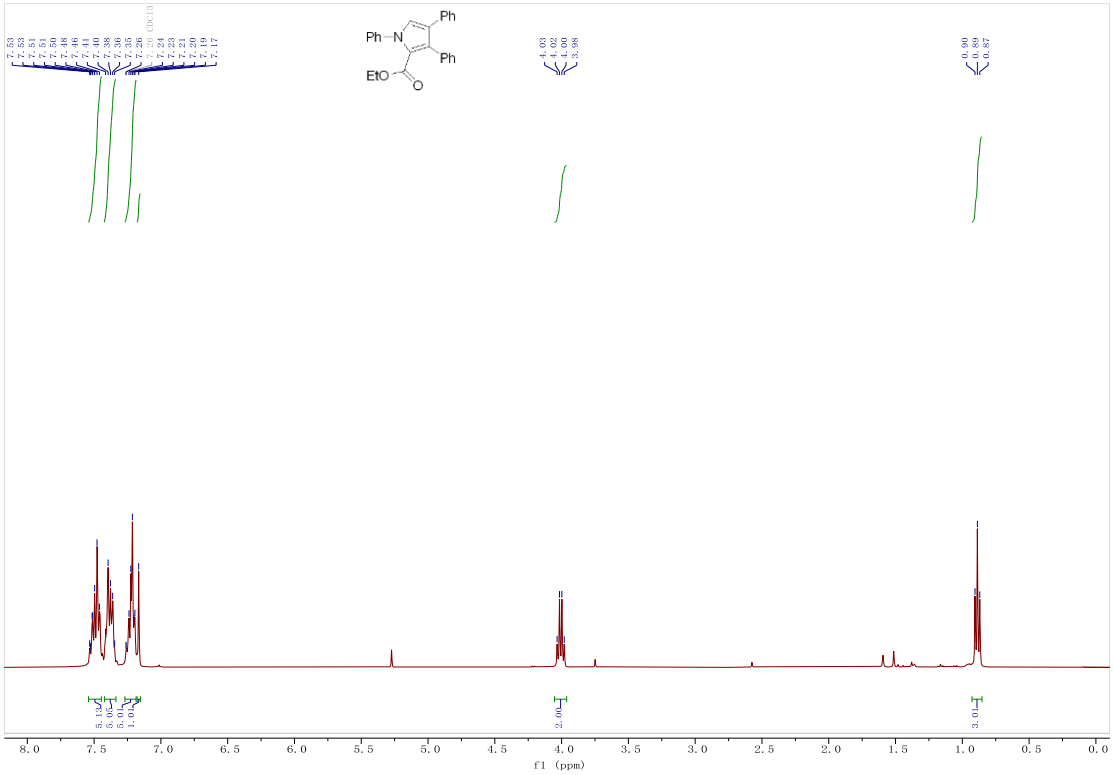

161.53
138.88
137.33
134.92
129.19
128.67
128.49
127.67
126.89
126.37
126.27
125.92
121.71
59.78
21.17
14.17
12.22
O
OEt
N
Me
Ph
f1 (ppm)

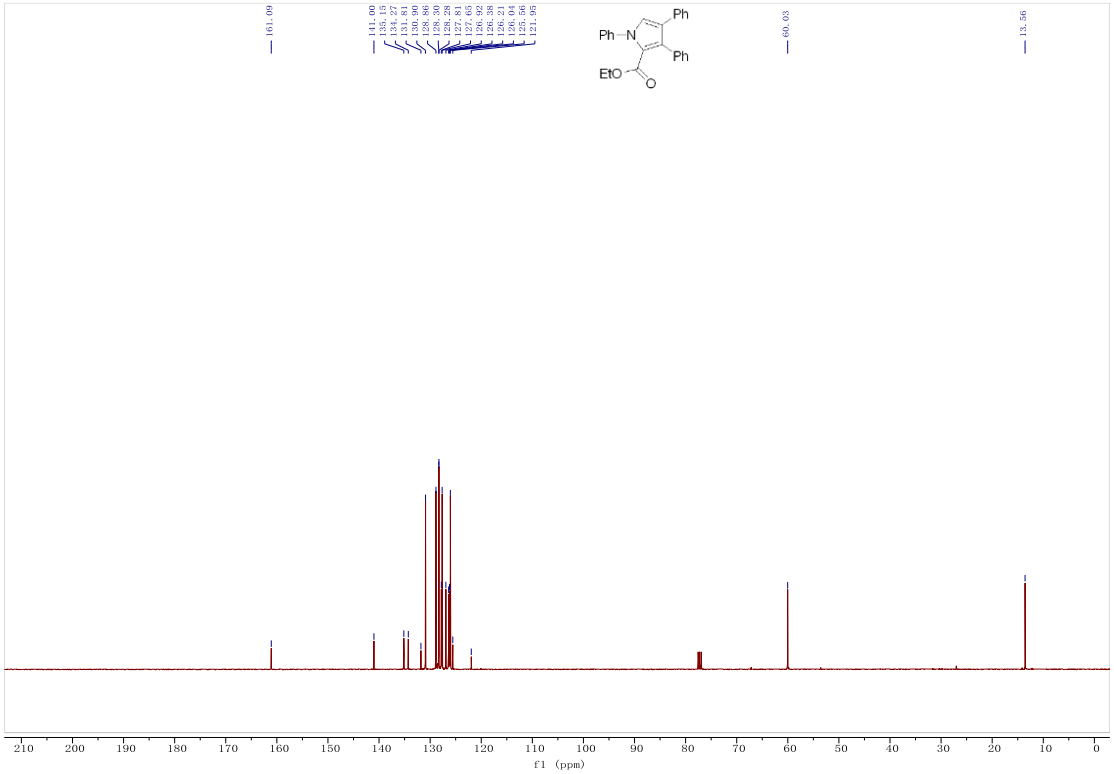
4na



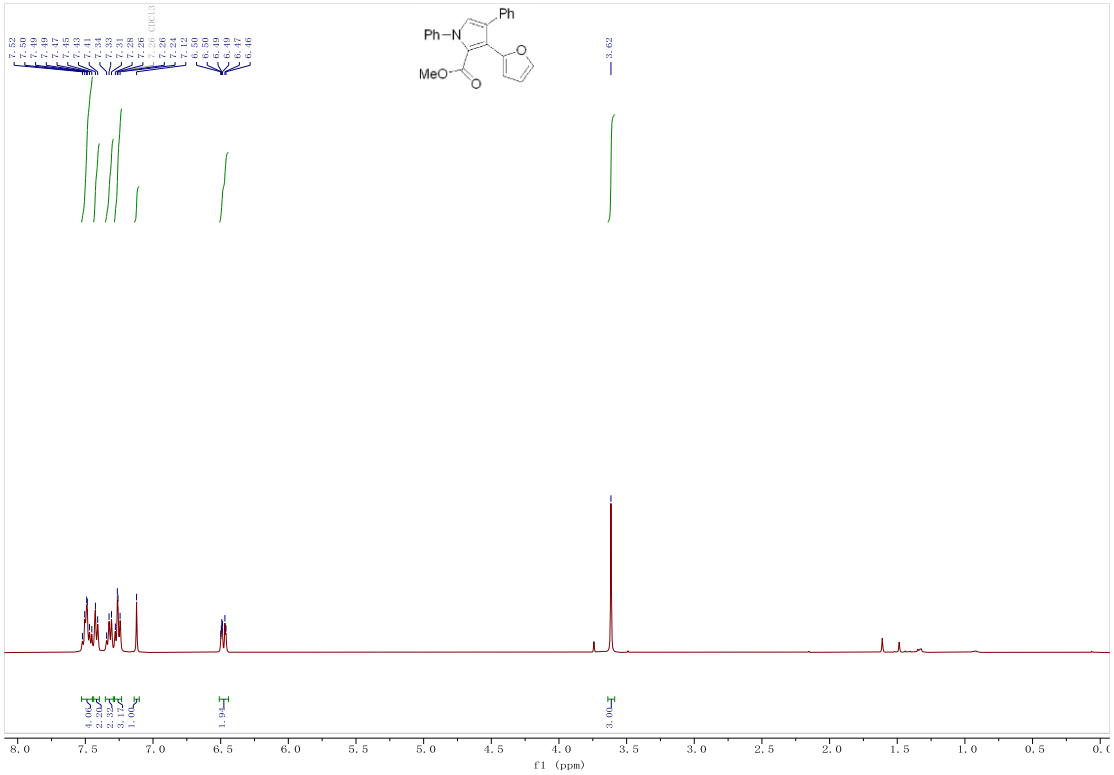


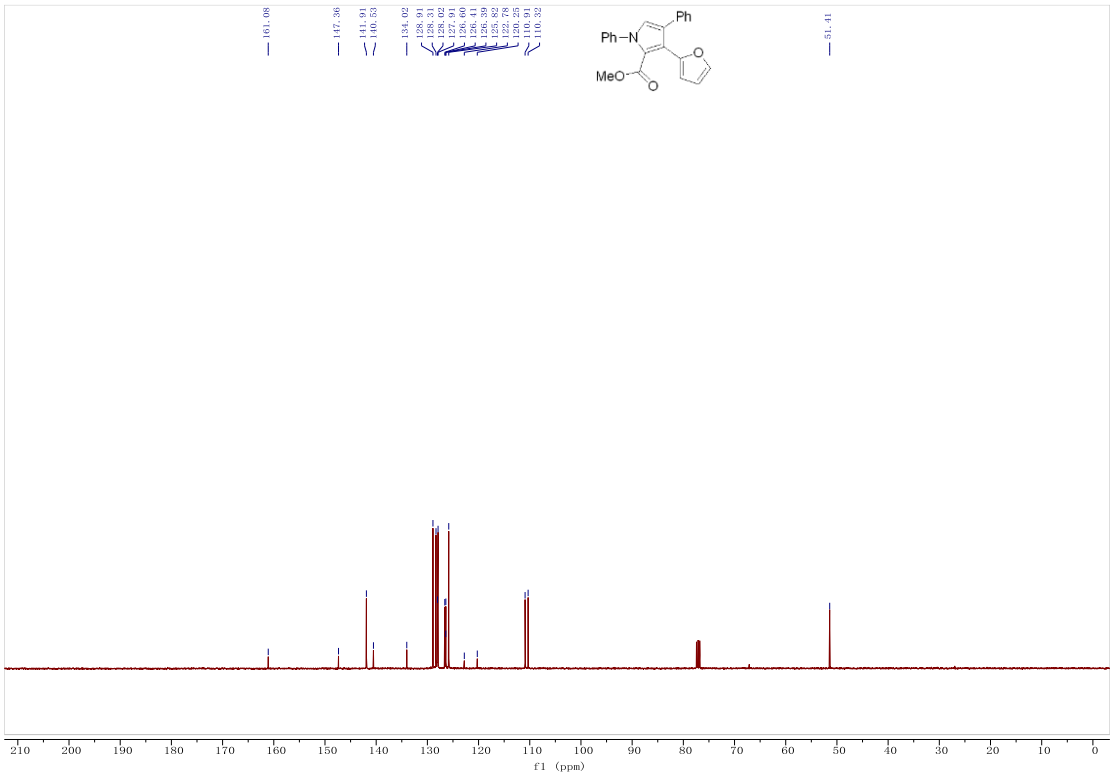
4qa



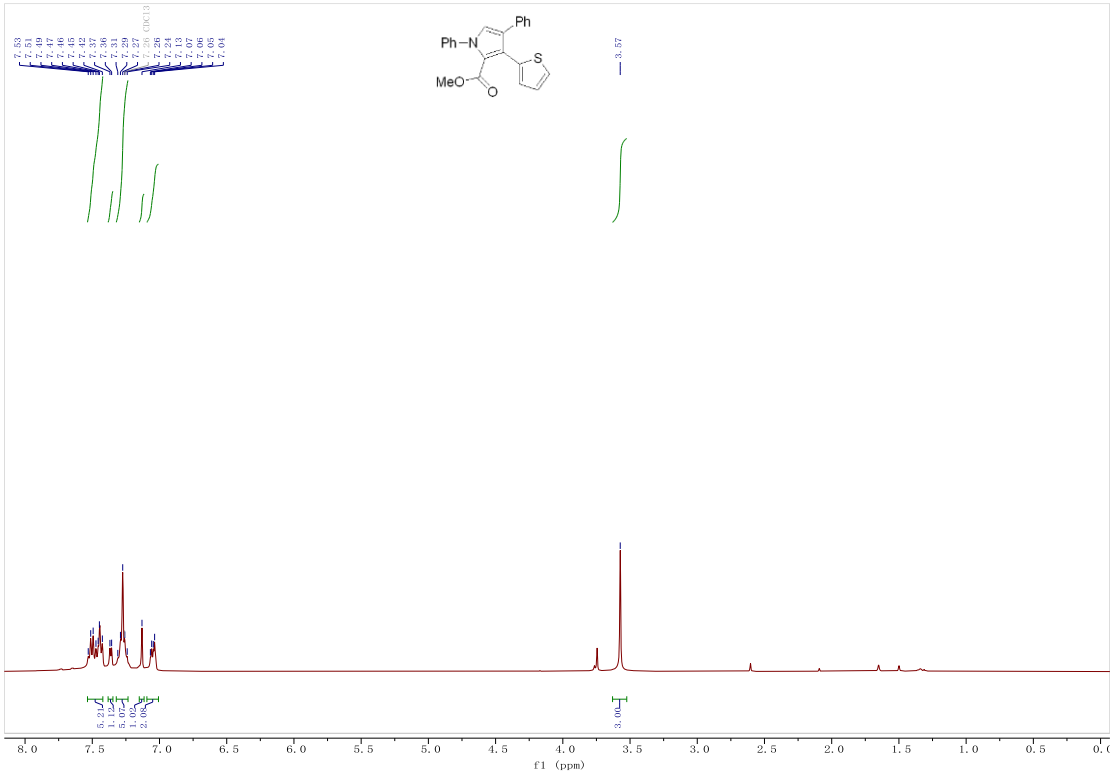


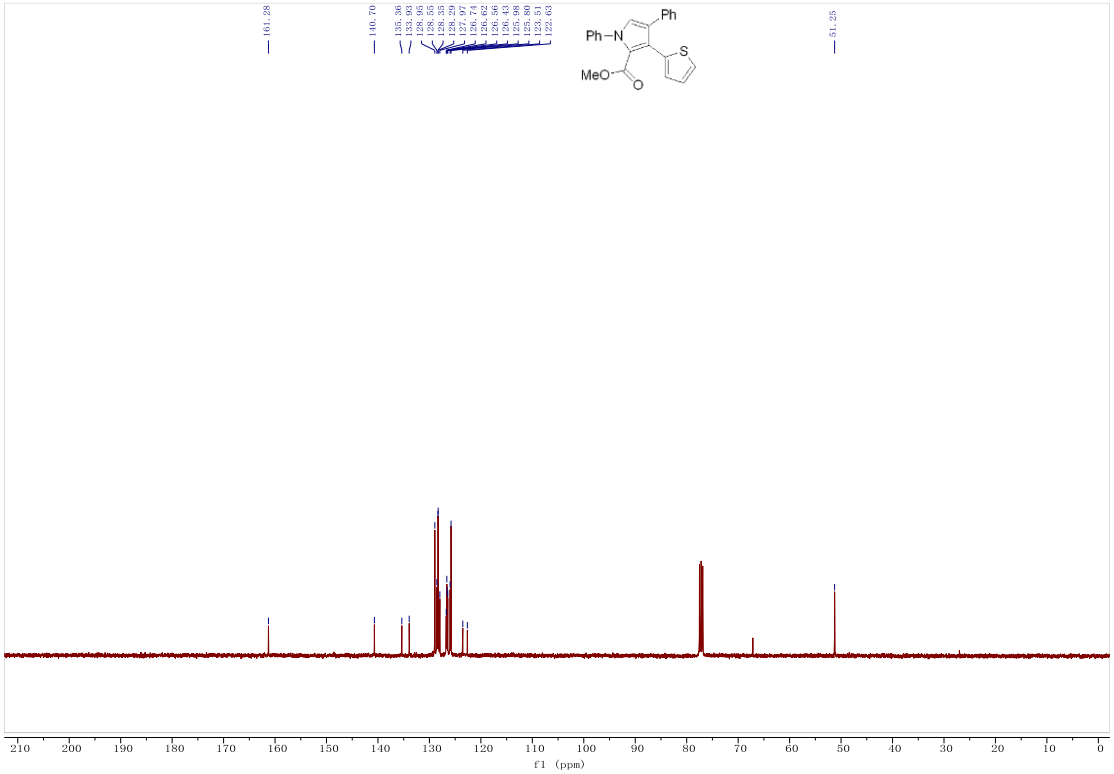
4ra


Ph
Ph–N
MeO
O
O
7.52
7.50
7.49
7.49
7.47
7.45
7.43
7.41
7.34
7.33
7.31
7.28
7.26 CDCl3
7.24
7.12
6.50
6.50
6.49
6.49
6.47
6.46
3.62
4.00
2.28
2.33
3.17
1.00
1.94
3.00
f1 (ppm)

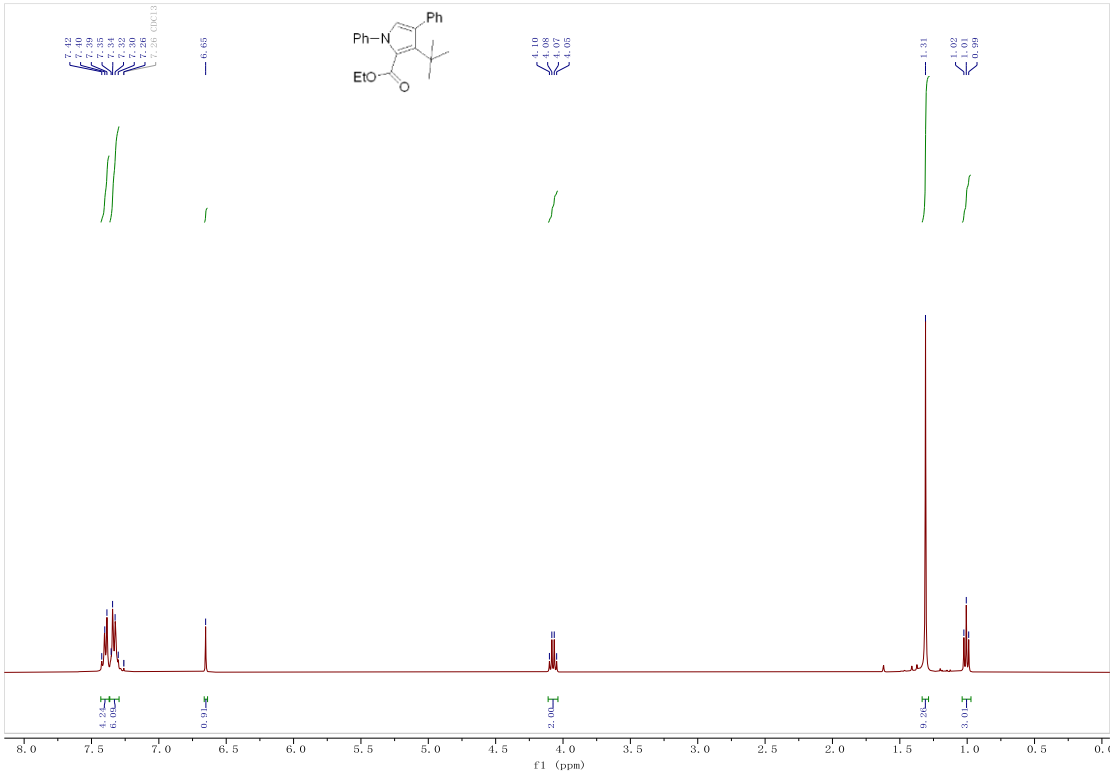

161.08
147.36
141.91
140.53
134.02
128.91
128.31
128.02
127.91
126.60
126.41
126.39
125.82
122.78
120.25
110.91
110.32
Ph
Ph–N
MeO
O
O
51.41
f1 (ppm)

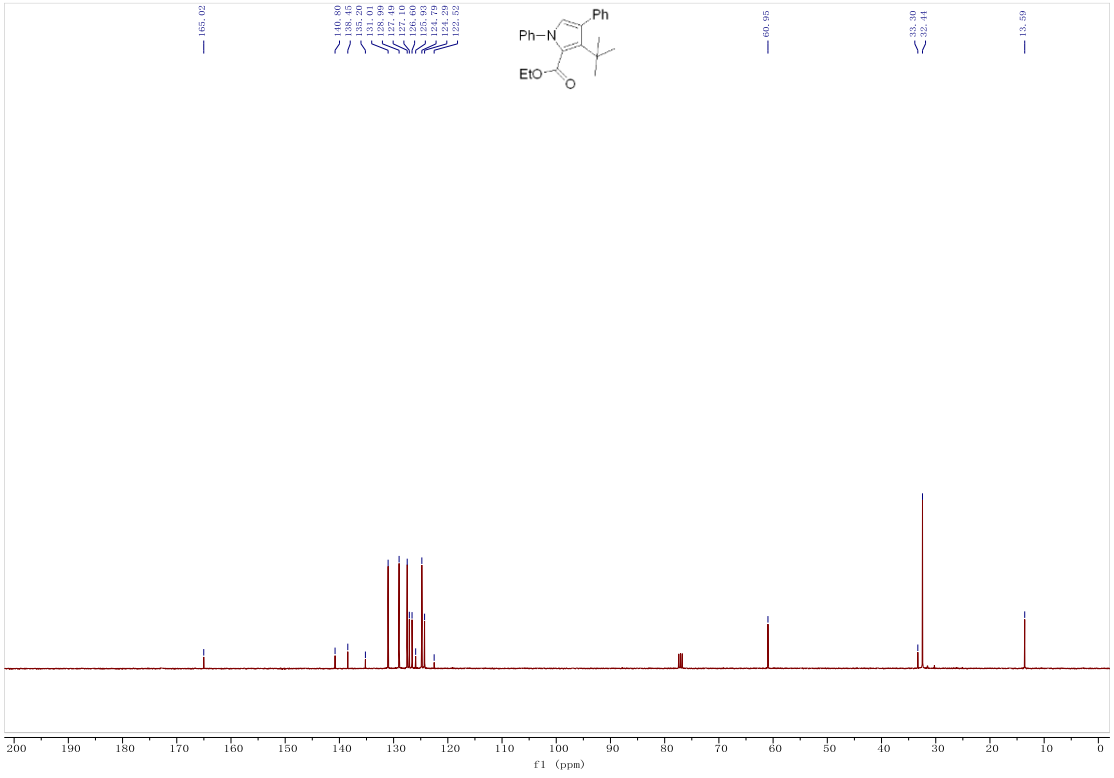
4sa



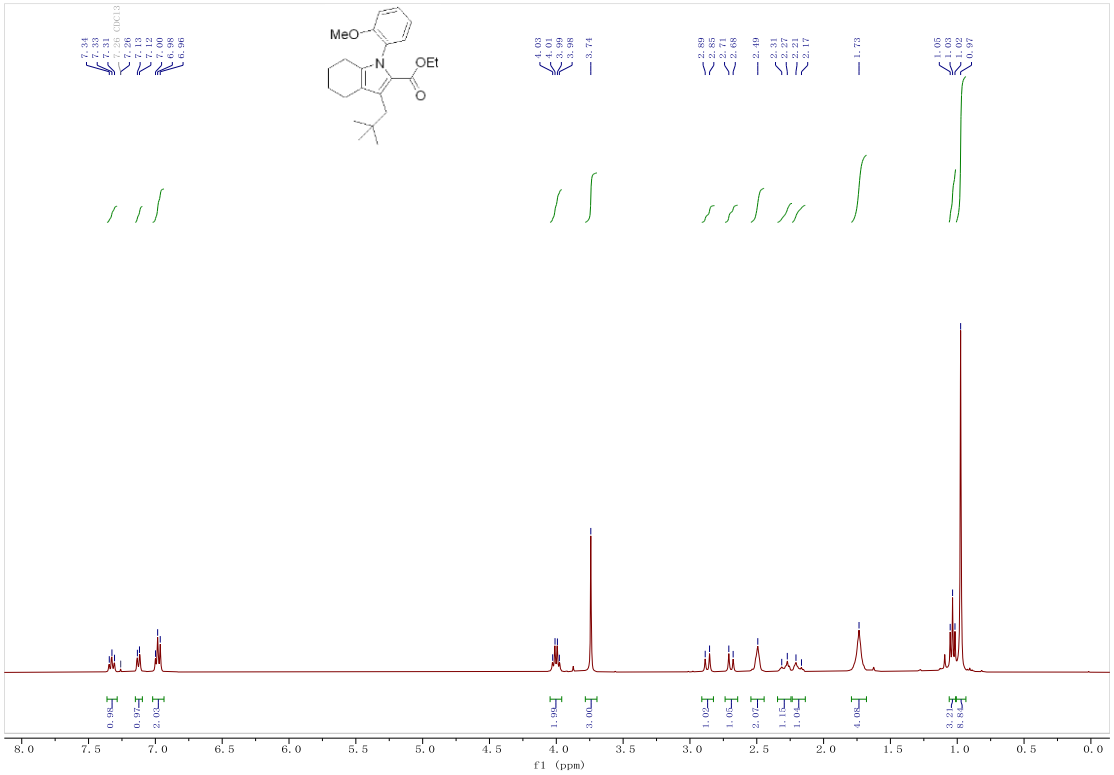


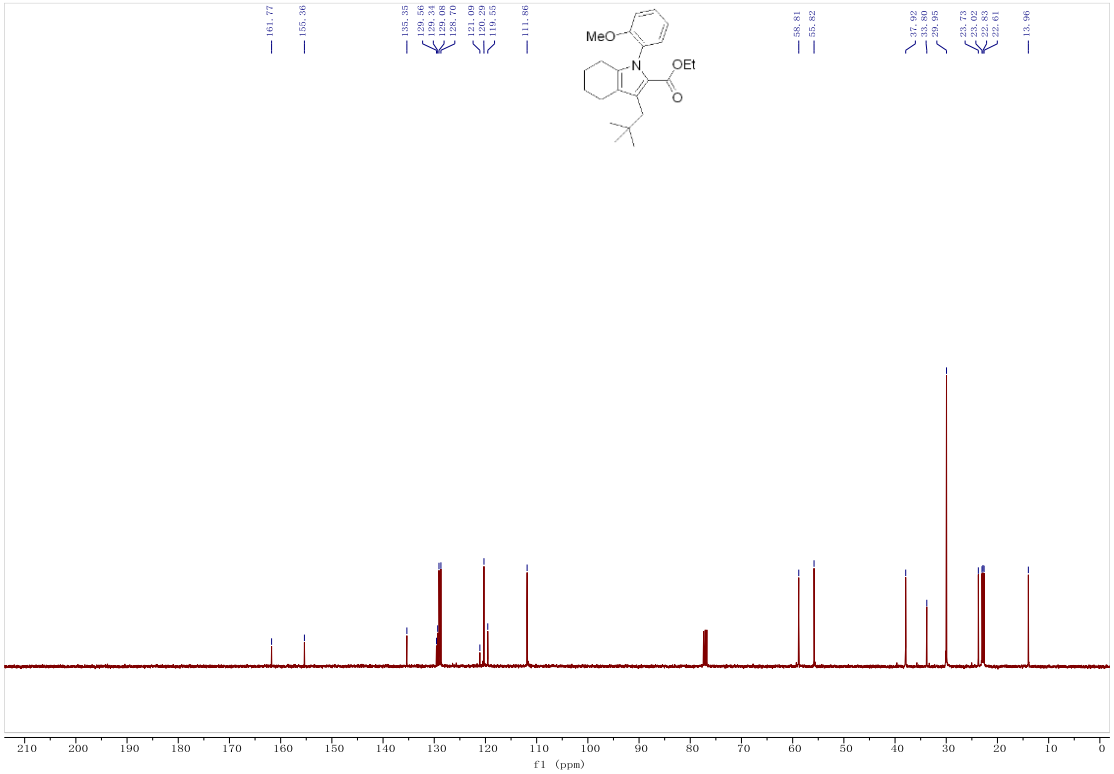
4ta


7.42
7.40
7.39
7.35
7.34
7.32
7.30
7.26
CDCl3
6.65
4.10
4.08
4.07
4.05
1.31
1.02
1.01
0.99
Ph
Ph–N
EtO
O
4.21
6.00
0.91
2.00
9.20
3.01
f1 (ppm)

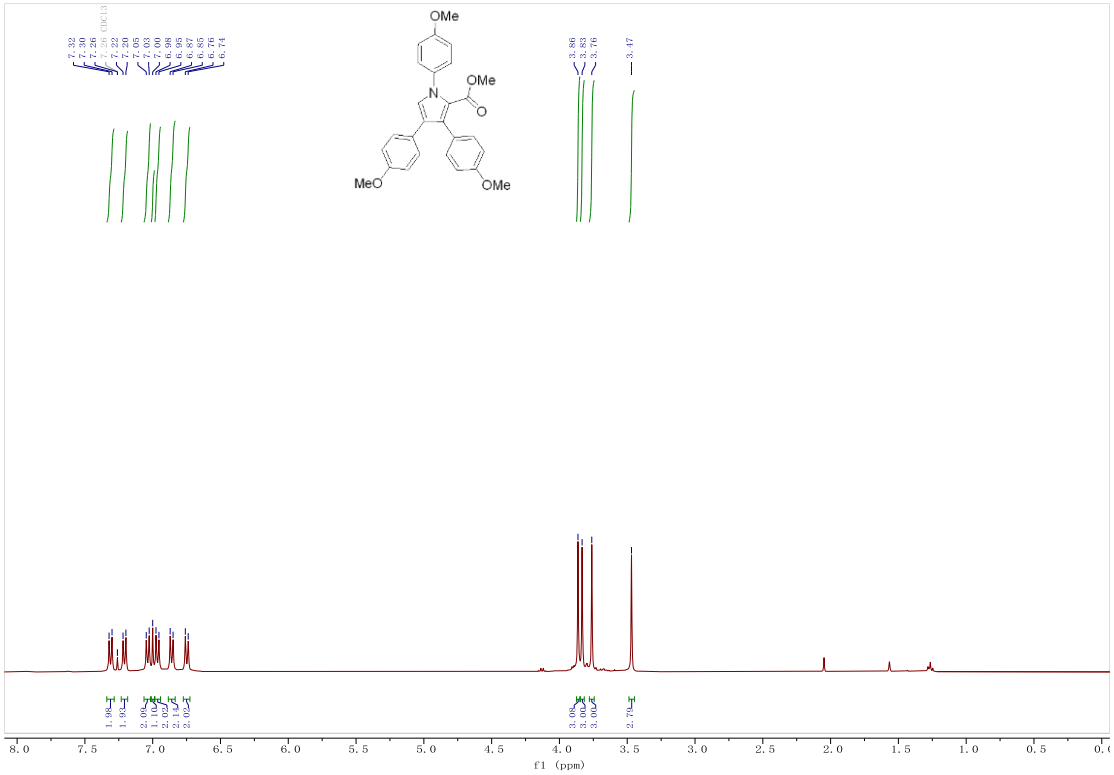

165.02
140.80
138.45
135.20
131.01
129.99
127.49
127.10
126.60
125.93
124.79
124.29
122.52
60.95
33.30
32.44
13.59
Ph
Ph–N
EtO
O
f1 (ppm)

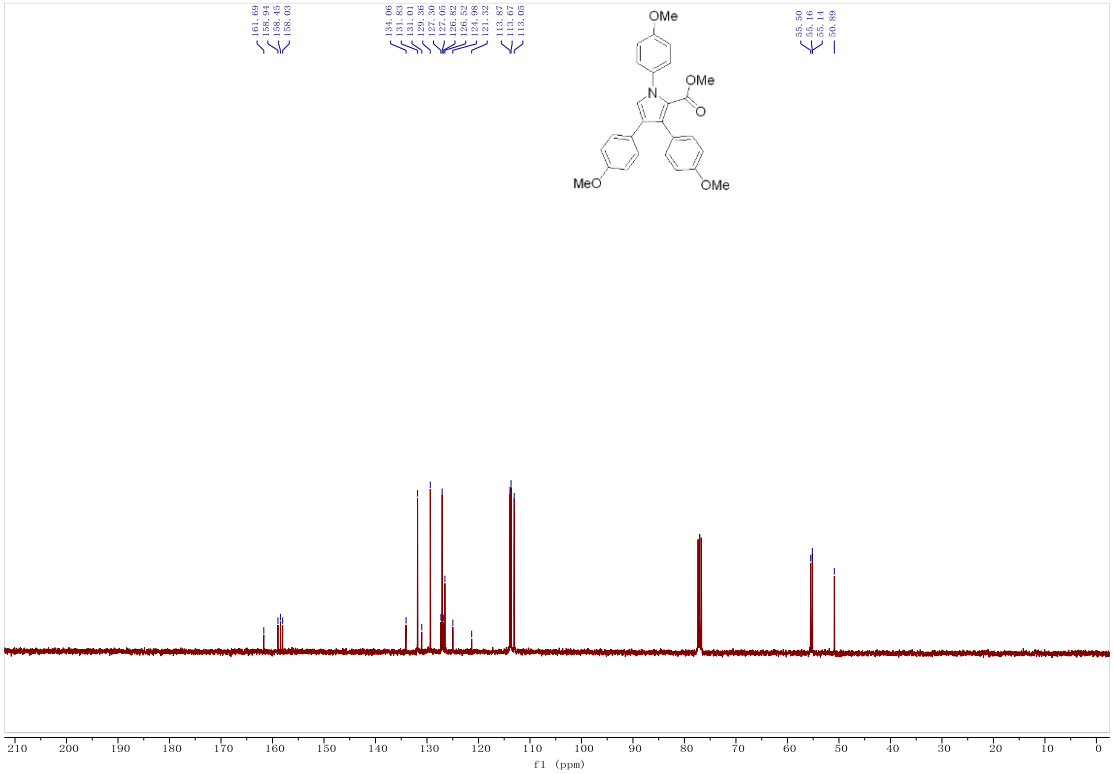
4uq



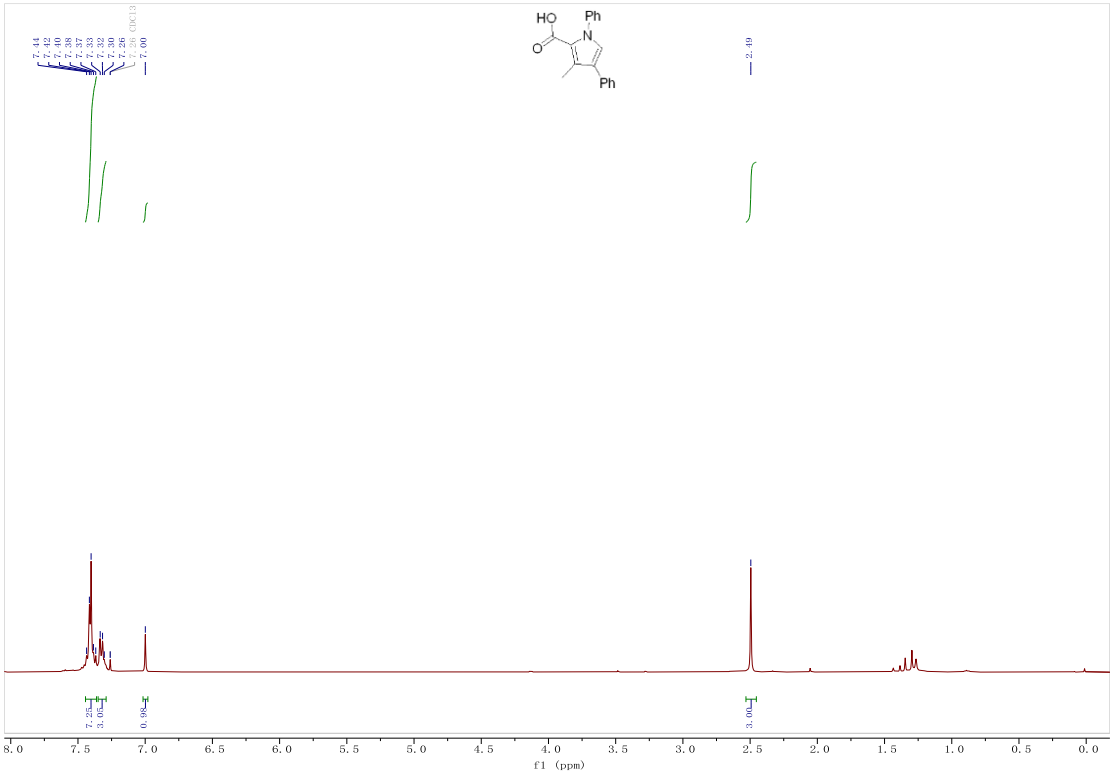


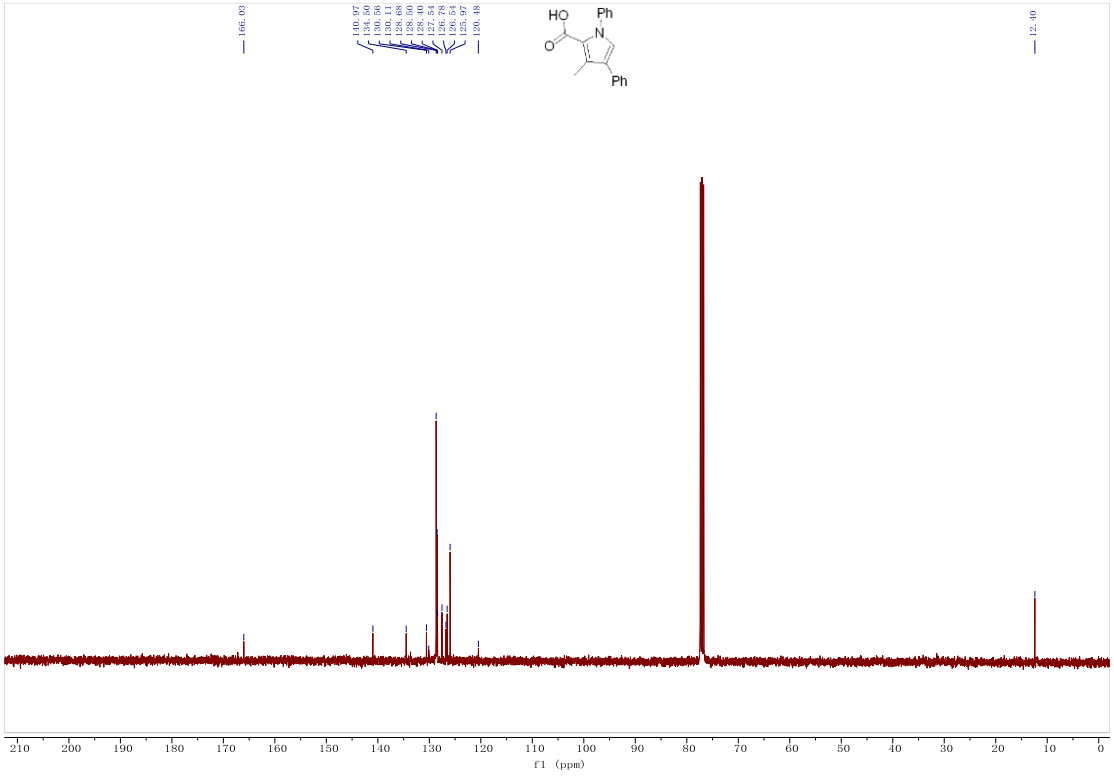
4vp



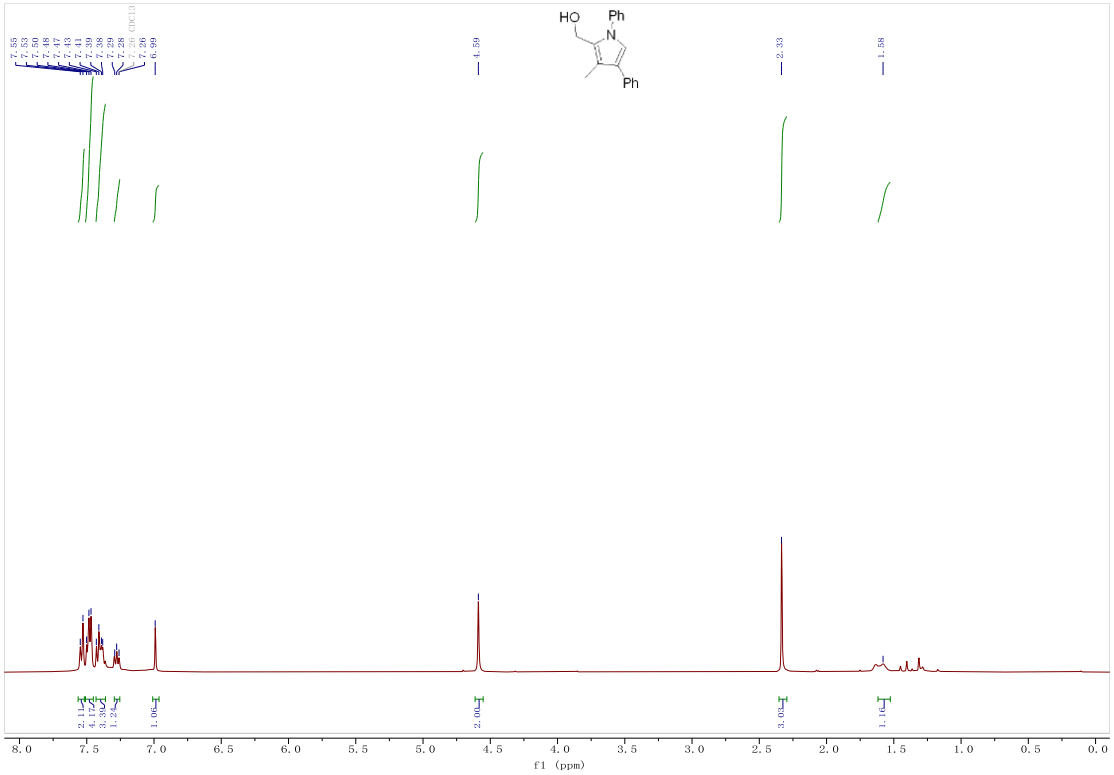


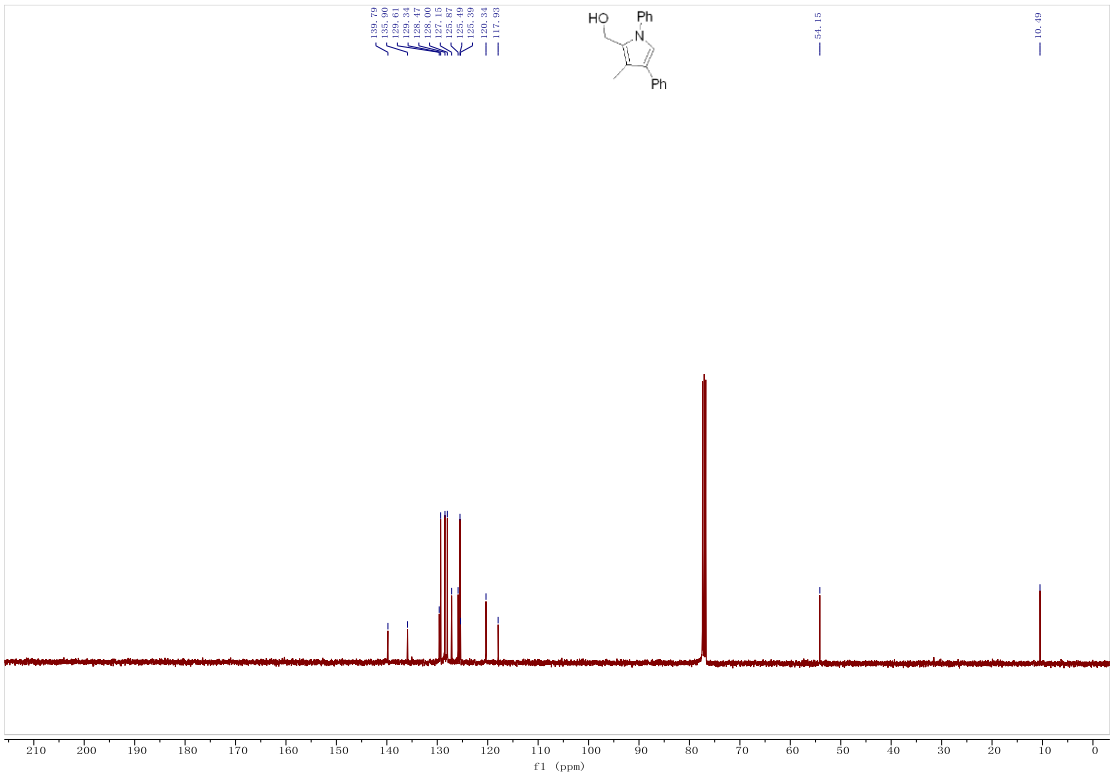
5a


HO
O
Ph
N
Ph
7.44
7.42
7.40
7.38
7.37
7.33
7.32
7.30
7.26
7.26 CDCl3
7.00
2.49
7.25
3.05
0.98
3.00
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
f1 (ppm)


166.03
140.97
134.50
130.56
130.11
128.68
128.50
128.40
127.54
126.78
126.54
125.97
120.48
HO
O
Ph
N
Ph
12.40
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

5b


HO
Ph
N
Ph
7.55
7.53
7.50
7.48
7.47
7.43
7.41
7.39
7.38
7.29
7.28
7.26 CDCl3
7.20
6.99
4.59
2.33
1.58
2.11
4.17
3.30
1.28
1.06
2.00
3.05
1.14
f1 (ppm)


HO
Ph
N
Ph
139.79
135.90
129.61
129.34
128.47
128.00
127.15
125.87
125.49
125.39
120.34
117.93
54.15
10.49
f1 (ppm)

Lamellarin R