

Supporting Information for

**Synthesis of trifluoromethylthiolated pyridinones
through the copper-mediated trifluoromethylthiolation
of iodopyridinones**

Beibei Luo, Yunxiao Zhang, Yi You,* and Zhiqiang Weng*

*State Key Laboratory of Photocatalysis on Energy and Environment, College of
Chemistry, Fuzhou University, Fuzhou 350108, China. Fax: 86 591 22866121; Tel:
86 591 22866121; E-mail: zweng@fzu.edu.cn*

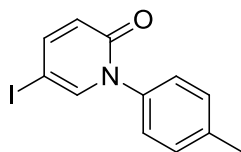
Table of Contents

Synthesis of Iodopyridinones.....	2
Copies of ¹ H NMR, and ¹³ C NMR Spectra for Iodopyridinones 2	14
Copies of ¹ H NMR, ¹⁹ F NMR and ¹³ C NMR Spectra for Compounds 3 and 6	37

Synthesis of Iodopyridinones.

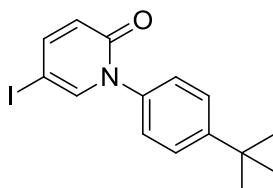
Iodopyridinones **2a**,^[1] **2x**,^[2] **2y**,^[1] **2z**,^[3] **2aa**,^[4] **2aa'**,^[5] **2ab**,^[6] **2ac**,^[2] **2ad**,^[7] **2af–2ah**,^[8] and **4**^[9] were prepared according to the published procedures.

General procedure for the synthesis of Iodopyridinones 2b–2w and 2ae. To a solution of 5-iodo-pyridin-2-one (0.44 g, 2.0 mmol) in dichloromethane (14 mL) and DMF (1.4 mL), Cu(OAc)₂ (0.73 g, 4.0 mmol), arylboronic acid (2.4 mmol), pyridine (0.32 g, 4 mmol) and MS 4Å (1.2 g) were added. The mixture was stirred at room temperature in an open vessel for 24 hours. The reaction mixture was filtered off, quenched with 25% NH₄OH, and usual workup and purification by silica gel chromatography.



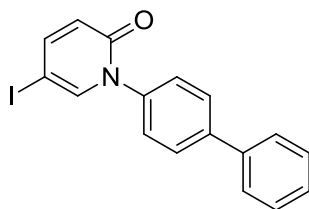
5-Iodo-1-(*p*-tolyl)pyridin-2(1*H*)-one (**2b**)

Obtained as a yellow solid in 61% yield (392 mg). Mp: 135–137 °C. *R*_f (diethyl ether/pentane 2:1) = 0.72. ¹H NMR (400 MHz, CDCl₃) δ 7.58 (d, *J* = 2.1 Hz, 1H), 7.50 (dd, *J* = 9.6, 2.4 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.25 (d, *J* = 8.2 Hz, 2H), 6.50 (d, *J* = 9.6 Hz, 1H), 2.42 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 160.9 (s), 147.1 (s), 142.9 (s), 139.0 (s), 137.5 (s), 130.1 (s), 126.1 (s), 123.5 (s), 64.3 (s), 21.2 (s). IR (KBr): ν 2242, 1659, 1577, 1507, 1274, 1135, 853, 824, 627, 536 cm⁻¹. GC-MS *m/z* 310 (M⁺). HRMS (EI): calcd. for C₁₂H₁₀NOI: 310.9807; Found: 310.9821.



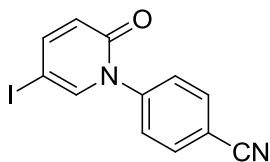
1-(4-(*tert*-Butyl)phenyl)-5-iodopyridin-2(1*H*)-one (**2c**)

Obtained as a white solid in 44% yield (464 mg). Mp: 144-146 °C. R_f (dichloromethane) = 0.69. ^1H NMR (400 MHz, CDCl_3) δ 7.60 (d, J = 2.5 Hz, 1H), 7.54 – 7.48 (m, 3H), 7.32 – 7.28 (m, 2H), 6.51 (d, J = 9.6 Hz, 1H), 1.37 (d, J = 2.8 Hz, 9H). ^{13}C NMR (101 MHz, CDCl_3) δ 161.0 (s), 152.0 (s), 147.2 (s), 142.9 (s), 137.4 (s), 126.5 (s), 125.8 (s), 123.5 (s), 64.4 (s), 34.8 (s), 31.3 (s). IR (KBr): ν 2957, 2866, 1648, 1567, 1510, 1361, 1275, 1131, 1109, 860, 834, 819, 675, 626, 587, 519, 489 cm^{-1} . GC-MS m/z 353 (M^+). HRMS (EI): calcd. for $\text{C}_{15}\text{H}_{16}\text{NOI}$: 353.0277; Found: 353.0287.



1-([1,1'-Biphenyl]-4-yl)-5-iodopyridin-2(1H)-one (**2d**)

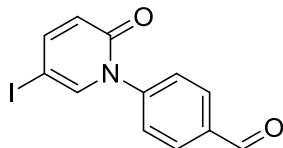
Obtained as a white solid in 24% yield (180 mg). Mp: 201–203 °C. R_f (dichloromethane) = 0.50. ^1H NMR (400 MHz, CDCl_3) δ 7.76 – 7.68 (m, 2H), 7.67 – 7.60 (m, 3H), 7.58 – 7.37 (m, 6H), 6.54 (d, J = 9.7 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.9 (s), 147.3 (s), 142.6 (s), 142.0 (s), 140.0 (s), 139.1 (s), 129.0 (s), 128.3 (s), 127.9 (s), 127.3 (s), 126.7 (s), 123.6 (s), 64.6 (s). IR (KBr): ν 2230, 1660, 1579, 1517, 1486, 1278, 1157, 1081, 826, 648, 632 cm^{-1} . GC-MS m/z 372 (M^+). HRMS (EI): calcd. for $\text{C}_{17}\text{H}_{12}\text{NOI}$: 372.9964; Found: 372.9963.



4-(5-Iodo-2-oxopyridin-1(2H)-yl)benzonitrile (**2e**)

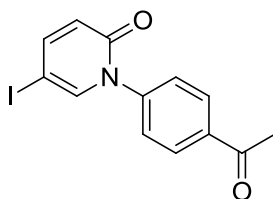
Obtained as a white solid in 36% yield (348 mg). Mp: 217–218 °C. R_f (diethyl ether/pentane 2:1) = 0.53. ^1H NMR (400 MHz, CDCl_3) δ 7.83 (d, J = 8.3 Hz, 1H), 7.59 – 7.52 (m, 2H), 6.55 – 6.48 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.2 (s), 147.7 (s), 143.4 (s), 141.3 (s), 133.4 (s), 127.5 (s), 123.8 (s), 117.7 (s), 112.9 (s), 65.4

(s). IR (KBr): ν 3042, 2922, 2234, 1660, 1574, 1518, 1137, 1049, 1022, 896, 822, 732, 629 cm^{-1} . GC-MS m/z 321 (M^+). HRMS (EI): calcd. for $\text{C}_{12}\text{H}_7\text{N}_2\text{OI}$: 321.9603; Found: 321.9599.



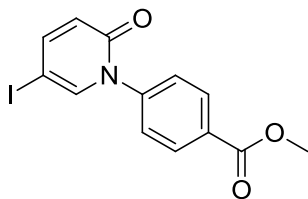
4-(5-Iodo-2-oxopyridin-1(2H)-yl)benzaldehyde (**2f**)

Obtained as a white solid in 49% yield (320 mg). Mp: 173–175 °C. R_f (diethyl ether/pentane 2:1) = 0.53. ^1H NMR (400 MHz, CDCl_3) δ 10.09 (s, 1H), 8.04 (d, J = 8.2 Hz, 2H), 7.57 (ddd, J = 11.8, 8.0, 2.9 Hz, 4H), 6.52 (d, J = 9.7 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 190.8 (s), 160.4 (s), 147.6 (s), 144.7 (s), 141.6 (s), 136.2 (s), 130.8 (s), 127.3 (s), 123.8 (s), 65.2 (s). IR (KBr): ν 2252, 1706, 1664, 1606, 1579, 1520, 1257, 1205, 1167, 857, 826, 627 cm^{-1} . GC-MS m/z 324 (M^+). HRMS (EI): calcd. for $\text{C}_{12}\text{H}_8\text{NO}_2\text{I}$: 324.9600; Found: 324.9599.



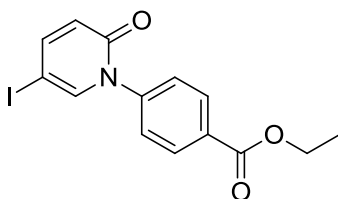
1-(4-Acetylphenyl)-5-iodopyridin-2(1H)-one (**2g**)

Obtained as a white solid in 38% yield (256 mg). Mp: 185–186 °C. R_f (dichloromethane) = 0.40. ^1H NMR (400 MHz, CDCl_3) δ 8.09 (d, J = 8.3 Hz, 2H), 7.62 – 7.47 (m, 4H), 6.50 (d, J = 9.6 Hz, 1H), 2.65 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 196.8 (s), 160.5 (s), 147.5 (s), 143.6 (s), 141.8 (s), 137.1 (s), 129.5 (s), 126.7 (s), 123.7 (s), 65.0 (d, J = 0.6 Hz), 26.8 (s). IR (KBr): ν 3049, 1658, 1602, 1574, 1518, 1406, 1352, 1263, 1239, 1141, 957, 818, 732, 627 cm^{-1} . GC-MS m/z 338 (M^+). HRMS (EI): calcd. for $\text{C}_{13}\text{H}_{10}\text{NO}_2\text{I}$: 338.9756; Found: 338.9758.



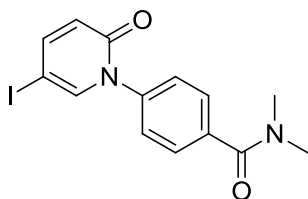
Methyl 4-(5-iodo-2-oxopyridin-1(2H)-yl)benzoate (**2h**)

Obtained as a white solid in 40% yield (430 mg). R_f (diethylether) = 0.58. Mp: 169–170 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.19 (d, J = 8.4 Hz, 2H), 7.58 (s, 1H), 7.53 (d, J = 9.7 Hz, 1H), 7.49 (d, J = 8.4 Hz, 2H), 6.51 (d, J = 9.7 Hz, 1H), 3.97 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 166.0 (s), 160.5 (s), 147.5 (s), 143.6 (s), 141.9 (s), 130.9 (s), 130.5 (s), 126.5 (s), 123.7 (s), 65.0 (s), 52.5 (s). IR (KBr): ν 2949, 1708, 1576, 1518, 1436, 1274, 1234, 1106, 824, 776, 699, 449 cm^{-1} . GC-MS m/z 354 (M^+). HRMS (EI): calcd. for $\text{C}_{13}\text{H}_{10}\text{NO}_3\text{I}$: 354.9705; Found: 354.9702.



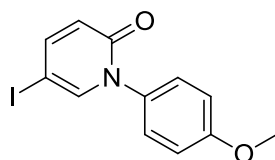
Ethyl 4-(5-iodo-2-oxopyridin-1(2H)-yl)benzoate (**2i**)

Obtained as a white solid in 79% yield (584 mg). Mp: 164–166 °C. R_f (diethyl ether/pentane 2:1) = 0.57. ^1H NMR (400 MHz, CDCl_3) δ 8.20 (d, J = 8.0 Hz, 2H), 7.60 – 7.57 (m, 1H), 7.53 (d, J = 9.8 Hz, 1H), 7.48 (d, J = 7.9 Hz, 2H), 6.52 (d, J = 9.6 Hz, 1H), 4.43 (q, J = 7.1 Hz, 2H), 1.43 (t, J = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.5 (s), 160.5 (s), 147.5 (s), 143.5 (s), 141.9 (s), 130.9 (s), 130.8 (s), 126.4 (s), 123.7 (s), 64.9 (s), 61.4 (s), 14.3 (s). IR (KBr): ν 2246, 1712, 1660, 1577, 1519, 1271, 1101, 1023, 825, 723, 700, 624 cm^{-1} . GC-MS m/z 368 (M^+). HRMS (EI): calcd. for $\text{C}_{14}\text{H}_{12}\text{NO}_3\text{I}$: 368.9862; Found: 368.9865.



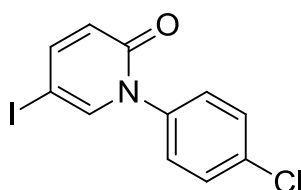
4-(5-Iodo-2-oxopyridin-1(2H)-yl)-*N,N*-dimethylbenzamide (**2j**)

Obtained as a yellow solid in 37% yield (273 mg). Mp: 205–206 °C. R_f (dichloromethane/methanol 8:1) = 0.52. ^1H NMR (400 MHz, CDCl_3) δ 7.52 (ddd, J = 11.9, 7.1, 1.7 Hz, 4H), 7.41 (d, J = 8.2 Hz, 2H), 6.48 (d, J = 9.6 Hz, 1H), 3.07 (d, J = 40.2 Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.3 – 170.2 (m), 160.6 (d, J = 0.8 Hz), 147.5 (s), 142.2 (s), 140.8 (d, J = 0.9 Hz), 136.9 (d, J = 2.0 Hz), 128.3 (s), 126.5 (s), 123.6 (d, J = 1.8 Hz), 64.9 (t, J = 1.2 Hz), 39.6 (s), 35.5 – 35.4 (m). IR (KBr): ν 2245, 1660, 1628, 1577, 1518, 1397, 1273, 1136, 1083, 857, 826, 518 cm^{-1} . GC-MS m/z 368 (M^+). HRMS (EI): calcd. for $\text{C}_{14}\text{H}_{13}\text{N}_2\text{O}_2\text{I}$: 368.0022; Found: 368.0030.



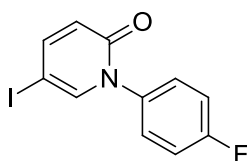
5-Iodo-1-(4-methoxyphenyl)pyridin-2(1H)-one (**2k**)

Obtained as a pale yellow solid in 70% yield (460 mg). R_f (dichloromethane) = 0.58. Mp: 158–160 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.56 (d, J = 2.5 Hz, 1H), 7.47 (dd, J = 9.6, 2.5 Hz, 1H), 7.25 (d, J = 8.8 Hz, 2H), 6.97 (d, J = 8.8 Hz, 2H), 6.45 (d, J = 9.6 Hz, 1H), 3.82 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 161.1 (s), 159.6 (s), 147.2 (s), 143.0 (s), 132.8 (s), 127.5 (s), 123.4 (s), 114.6 (s), 64.4 (s), 55.6 (s).



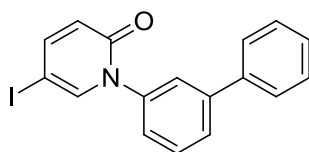
1-(4-Chlorophenyl)-5-iodopyridin-2(1H)-one (**2l**)

Obtained as a white solid in 47% yield (316 mg). Mp: 141–143 °C. R_f (dichloromethane) = 0.40. ^1H NMR (400 MHz, CDCl_3) δ 7.58 – 7.46 (m, 4H), 7.34 (d, J = 8.6 Hz, 2H), 6.50 (d, J = 9.6 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.7 (s), 147.4 (s), 142.2 (s), 138.4 (s), 134.9 (s), 129.7 (s), 127.8 (s), 123.6 (s), 64.7 (s). IR (KBr): ν 2146, 1715, 1630, 1567, 1539, 1171, 1101, 1023, 855, 753, 720, 624 cm^{-1} . GC-MS m/z 330 (M^+). HRMS (EI): calcd. for $\text{C}_{11}\text{H}_7\text{NOClI}$: 330.9261; Found: 330.9259.



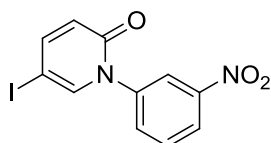
Ethyl 4-(5-iodo-2-oxopyridin-1(2H)-yl)benzoate (2m)

Obtained as a pale yellow solid in 80% yield (1.30 g). Mp: 164–165 °C. R_f (ethyl acetate/pentane 1:1) = 0.73. ^1H NMR (400 MHz, CDCl_3) δ 7.56 (d, J = 2.5 Hz, 1H), 7.51 (dd, J = 9.6, 2.5 Hz, 1H), 7.39 – 7.33 (m, 2H), 7.20 (dd, J = 11.4, 5.6 Hz, 2H), 6.49 (d, J = 9.6 Hz, 1H). ^{19}F NMR (376 MHz, CDCl_3) δ -111.8 – -111.9 (m, 1F). ^{13}C NMR (101 MHz, CDCl_3) δ 163.6 (s), 161.2 (s), 160.8 (s), 147.4 (s), 142.5 (d, J = 0.4 Hz), 135.9 (d, J = 3.3 Hz), 128.3 (d, J = 8.8 Hz), 123.6 (s), 116.6 (s), 116.4 (s), 64.6 (s). IR (KBr): ν 3044, 1658, 1572, 1503, 1416, 1295, 1215, 1196, 1158, 1059, 902, 815, 721, 627, 590 cm^{-1} . GC-MS m/z 314 (M^+). HRMS (EI): calcd. for $\text{C}_{11}\text{H}_{10}\text{NOIF}$: 314.9556; Found: 314.9548.



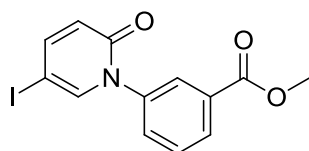
1-([1,1'-Biphenyl]-3-yl)-5-iodopyridin-2(1H)-one (2n)

Obtained as a yellow solid in 35% yield (262 mg). Mp: 162–164 °C. R_f (dichloromethane) = 0.60. ^1H NMR (400 MHz, CDCl_3) δ 7.71 – 7.44 (m, 9H), 7.43 – 7.34 (m, 2H), 6.53 (d, J = 9.7 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.9 (s), 147.3 (s), 143.0 (s), 142.7 (s), 140.5 (s), 139.7 (s), 129.9 (s), 128.9 (s), 128.0 (s), 127.7 (s), 127.3 (s), 125.2 (d, J = 1.1 Hz), 123.7 (s), 64.6 (s). IR (KBr): ν 2250, 1662, 1579, 1520, 1478, 1275, 1136, 826, 698, 509 cm^{-1} . GC-MS m/z 372 (M^+). HRMS (EI): calcd. for $\text{C}_{17}\text{H}_{12}\text{NOI}$: 372.9964; Found: 372.9963.



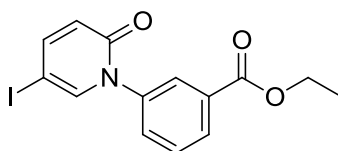
5-Iodo-1-(3-nitrophenyl)pyridin-2(1H)-one (2o)

Obtained as a yellow solid in 52% yield (358 mg). Mp: 207-208 °C. R_f (dichloromethane) = 0.54. ^1H NMR (400 MHz, $\text{CD}_2\text{Cl}_2/\text{CDCl}_3$) δ 8.33 (dd, J = 15.6, 4.9 Hz, 2H), 7.78 (dt, J = 15.5, 7.9 Hz, 2H), 7.67 – 7.55 (m, 2H), 6.51 (d, J = 9.6 Hz, 1H). IR (KBr): ν 3084, 3052, 1655, 1573, 1517, 1416, 1346, 1278, 1230, 1138, 1087, 875, 827, 741, 629 cm^{-1} . GC-MS m/z 341 (M^+). HRMS (EI): calcd. for $\text{C}_{11}\text{H}_7\text{N}_2\text{O}_3\text{I}$: 341.9501; Found: 341.9503.



Methyl 3-(5-iodo-2-oxopyridin-1(2H)-yl)benzoate (**2p**)

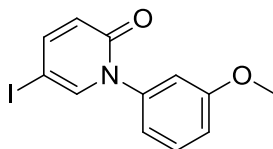
Obtained as a yellow solid in 62% yield (680 mg). Mp: 150–151 °C. R_f (diethyl ether) = 0.72. ^1H NMR (400 MHz, CDCl_3) δ 8.14 (d, J = 7.0 Hz, 1H), 8.04 (s, 1H), 7.66 – 7.51 (m, 4H), 6.51 (d, J = 9.6 Hz, 1H), 3.96 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.8 (s), 160.6 (s), 147.5 (s), 142.2 (s), 140.1 (s), 131.8 (s), 131.0 (s), 130.0 (s), 129.5 (s), 127.5 (s), 123.7 (s), 64.8 (s), 52.5 (s). IR (KBr): ν 3072, 2959, 1705, 1661, 1578, 1520, 1447, 1420, 1287, 1233, 1137, 1104, 1033, 961, 883, 821, 688 cm^{-1} . GC-MS m/z 354 (M^+). HRMS (EI): calcd. for $\text{C}_{13}\text{H}_{10}\text{NO}_3\text{I}$: 354.9705; Found: 354.9702.



Ethyl 3-(5-iodo-2-oxopyridin-1(2H)-yl)benzoate (**2q**)

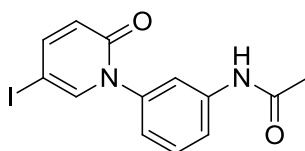
Obtained as a yellow solid in 80% yield (590 mg). Mp: 111–112 °C. R_f (diethyl ether) = 0.64. ^1H NMR (400 MHz, CDCl_3) δ 8.14 (dd, J = 4.3, 3.4 Hz, 1H), 8.03 (s, 1H), 7.61 (d, J = 7.3 Hz, 3H), 7.54 (dd, J = 9.6, 2.5 Hz, 1H), 6.52 (d, J = 9.7 Hz, 1H), 4.42 (q, J = 7.1 Hz, 2H), 1.42 (t, J = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.3 (s),

160.7 (s), 147.5 (s), 142.3 (s), 140.1 (s), 132.2 (s), 130.9 (s), 130.0 (s), 129.5 (s), 127.4 (s), 123.6 (s), 64.8 (s), 61.5 (s), 14.3 (s). IR (KBr): ν 2939, 1723, 1660, 1576, 1522, 1389, 1285, 1232, 1141, 1079, 828, 747, 689, 635, 499 cm^{-1} . GC-MS m/z 368 (M^+). HRMS (EI): calcd. for $\text{C}_{14}\text{H}_{12}\text{NO}_3\text{I}$: 368.9862; Found: 368.9864.



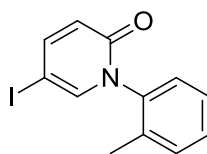
5-Iodo-1-(3-methoxyphenyl)pyridin-2(1*H*)-one (**2r**)

Obtained as a yellow solid in 62% yield (609 mg). Mp: 164–166 °C. R_f (diethyl ether) = 0.56. ^1H NMR (400 MHz, CDCl_3) δ 7.59 (d, J = 2.3 Hz, 1H), 7.51 (dd, J = 9.7, 2.3 Hz, 1H), 7.41 (dd, J = 13.7, 5.8 Hz, 1H), 7.03 – 6.89 (m, 3H), 6.50 (d, J = 9.6 Hz, 1H), 3.85 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.8 (s), 160.3 (s), 147.2 (d, J = 1.1 Hz), 142.7 (s), 141.1 (s), 130.3 (s), 123.6 (d, J = 1.2 Hz), 118.5 (s), 114.9 (s), 112.2 (s), 64.4 – 64.3 (m), 55.5 (s). IR (KBr): ν 3065, 1641, 1596, 1563, 1491, 1465, 1433, 1296, 1257, 1223, 1183, 1048, 1019, 860, 813, 507 cm^{-1} . GC-MS m/z 326 (M^+). HRMS (EI): calcd. for $\text{C}_{12}\text{H}_{10}\text{NO}_2\text{I}$: 326.9756; Found: 326.9753.



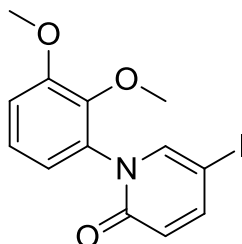
N-(3-(5-Iodo-2-oxopyridin-1(2*H*)-yl)phenyl)acetamide (**2s**)

Obtained as a white solid in 40% yield (283 mg). Mp: 227–229 °C. R_f (dichloromethane/methanol 10:1) = 0.60. ^1H NMR (400 MHz, CDCl_3) δ 8.82 (s, 1H), 7.69 – 7.56 (m, 3H), 7.35 – 7.20 (m, 2H), 6.93 (d, J = 7.2 Hz, 1H), 6.53 (d, J = 10.2 Hz, 1H), 1.97 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.1 (s), 161.6 (s), 148.2 (s), 142.9 (s), 140.1 (s), 139.9 (s), 129.8 (s), 123.0 (s), 120.7 (s), 120.6 (s), 118.2 (s), 65.2 (s), 24.3 (s). IR (KBr): ν 2250, 1649, 1604, 1572, 1519, 1489, 1440, 1369, 1287, 1136, 827, 788, 693, 647, 587, 517 cm^{-1} . GC-MS m/z 353 (M^+). HRMS (EI): calcd. for $\text{C}_{13}\text{H}_{12}\text{N}_2\text{O}_2\text{I}$: 353.9865; Found: 353.9874.



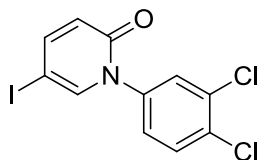
5-Iodo-1-(*o*-tolyl)pyridin-2(1*H*)-one (**2t**)

Obtained as a white solid in 60% yield (933 mg). Mp: 145–146 °C. R_f (dichloromethane) = 0.53. ^1H NMR (400 MHz, CDCl_3) δ 7.55 (dd, J = 9.7, 2.6 Hz, 1H), 7.48 (dd, J = 2.5, 0.5 Hz, 1H), 7.40 – 7.33 (m, 3H), 7.19 (d, J = 7.6 Hz, 1H), 6.53 (dd, J = 9.7, 0.5 Hz, 1H), 2.20 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.6 (s), 147.4 (s), 142.8 (s), 139.4 (s), 134.9 (s), 131.3 (s), 129.5 (s), 127.3 (s), 127.0 (s), 123.6 (s), 64.2 (s), 17.6 (s). IR (KBr): ν 3045, 2922, 1649, 1571, 1507, 1273, 1135, 856, 815, 769, 728, 634, 511, 474, 447 cm^{-1} . GC-MS m/z 310 (M^+). HRMS (EI): calcd. for $\text{C}_{12}\text{H}_{10}\text{NOI}$: 310.9807; Found: 310.9806.



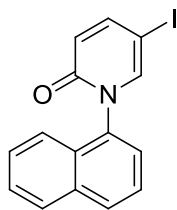
1-(2,3-Dimethoxyphenyl)-5-iodopyridin-2(1*H*)-one (**2u**)

Obtained as a red black solid in 48% yield (344 mg). Mp: 158-159 °C. R_f (diethyl ether) = 0.33. ^1H NMR (400 MHz, CDCl_3) δ 7.59 (d, J = 2.4 Hz, 1H), 7.51 (dd, J = 9.6, 2.5 Hz, 1H), 6.98 – 6.84 (m, 3H), 6.50 (d, J = 9.6 Hz, 1H), 3.92 (d, J = 9.8 Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 161.2 (s), 149.4 (s), 149.4 (s), 147.2 (s), 143.1 (s), 133.0 (s), 123.5 (s), 118.5 (s), 111.2 (s), 109.9 (s), 64.3 (s), 56.1 (d, J = 2.5 Hz). IR (KBr): ν 2253, 1664, 1578, 1514, 1261, 1253, 1108, 1025, 831, 587 cm^{-1} . GC-MS m/z 356 (M^+). HRMS (EI): calcd. for $\text{C}_{13}\text{H}_{12}\text{NO}_3\text{I}$: 356.9862; Found: 356.9860.



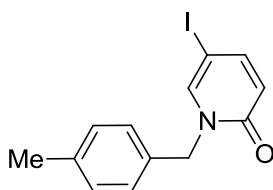
1-(3,4-Dichlorophenyl)-5-iodopyridin-2(1*H*)-one (**2v**)

Obtained as a white solid in 30% yield (330 mg). Mp: 192–194 °C. R_f (dichloromethane) = 0.40. ^1H NMR (400 MHz, CDCl_3) δ 7.60 (d, J = 8.5 Hz, 1H), 7.55 – 7.50 (m, 3H), 7.31 – 7.25 (m, 1H), 6.54 – 6.47 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.4 (d, J = 0.7 Hz), 147.6 (s), 141.7 (s), 138.9 (s), 133.5 (s), 133.4 (s), 131.1 (s), 128.6 (s), 125.9 (s), 123.7 (s), 65.0 (d, J = 0.7 Hz). IR (KBr): ν 3062, 1641, 1560, 1515, 1466, 1416, 1393, 1286, 1237, 1133, 1030, 816, 701, 632, 484 cm^{-1} . GC-MS m/z 364 (M^+). HRMS (EI): calcd. for $\text{C}_{11}\text{H}_6\text{NOICl}_2$: 364.8871; Found: 364.8867.



5-Iodo-1-(naphthalen-1-yl)pyridin-2(1*H*)-one (**2w**)

Obtained as a white solid in 45% yield (320 mg). Mp: 150–152 °C. R_f (dichloromethane) = 0.64. ^1H NMR (400 MHz, CDCl_3) δ 8.01 – 7.86 (m, 3H), 7.83 (s, 1H), 7.70 (s, 1H), 7.61 – 7.47 (m, 4H), 6.55 (d, J = 9.6 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 161.1 (s), 147.4 (s), 142.9 (s), 137.7 (s), 133.3 (s), 133.0 (s), 129.4 (s), 128.2 (s), 127.9 (s), 127.2 (s), 127.0 (s), 125.0 (s), 124.2 (s), 123.7 (s), 64.6 (s). IR (KBr): ν 3054, 2922, 1640, 1565, 1510, 1260, 862, 809, 701, 621, 470 cm^{-1} . GC-MS m/z 346 (M^+). HRMS (EI): calcd. for $\text{C}_{15}\text{H}_{10}\text{NOI}$: 346.9807; Found: 346.9799.



5-Iodo-1-(4-methylbenzyl)pyridin-2(1*H*)-one (**2ae**)

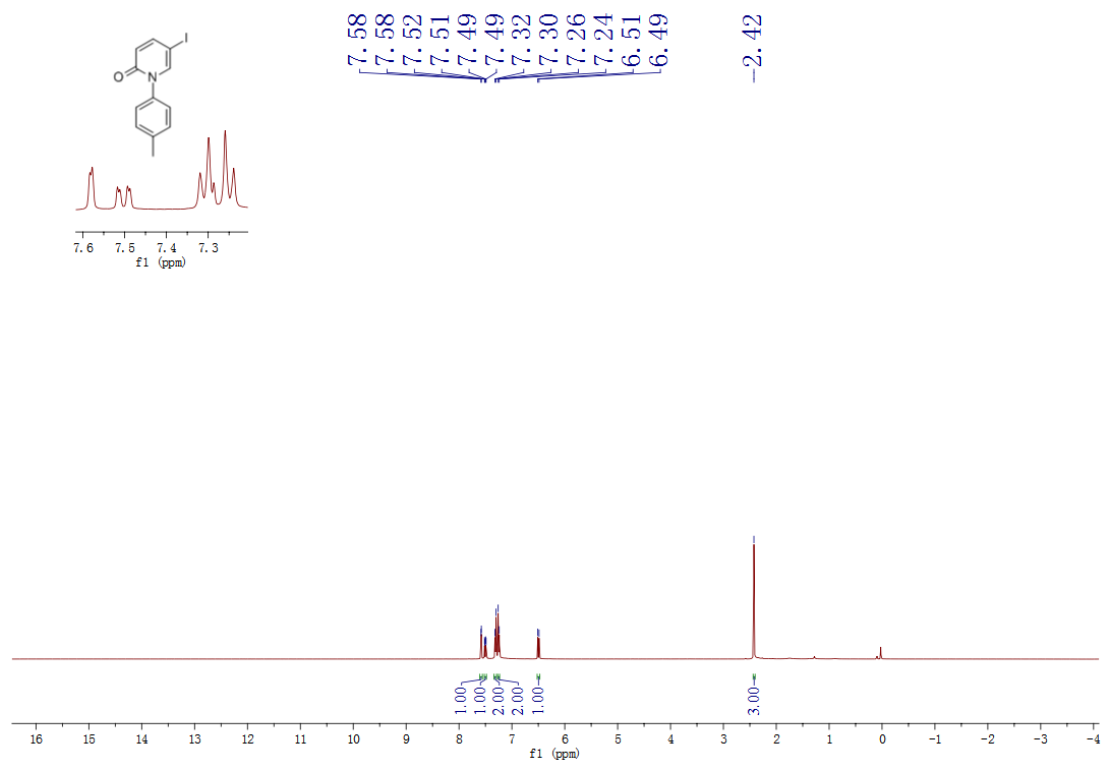
Obtained as a white solid in 62% yield (400 mg). Mp: 105-106 °C. R_f (dichloromethane) = 0.70. ^1H NMR (400 MHz, CDCl_3) δ 7.48 (s, 1H), 7.45 – 7.40 (m, 1H), 7.25 – 7.16 (m, 4H), 6.47 (t, J = 8.6 Hz, 1H), 5.07 (s, 2H), 2.37 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 161.1 (d, J = 0.6 Hz), 146.8 (s), 142.1 (d, J = 0.9 Hz), 139.3 – 136.9 (m), 132.8 (d, J = 1.0 Hz), 129.7 (s), 128.3 (s), 122.9 (s), 64.5 (s), 51.8 (s), 21.2 (s). IR (KBr): ν 2920, 1652, 1575, 1518, 1431, 1376, 1243, 1152, 826, 627 cm^{-1} . GC-MS m/z 325 (M^+). HRMS (EI): calcd. for $\text{C}_{13}\text{H}_{12}\text{NOI}$: 324.9964; Found: 324.9962.

References:

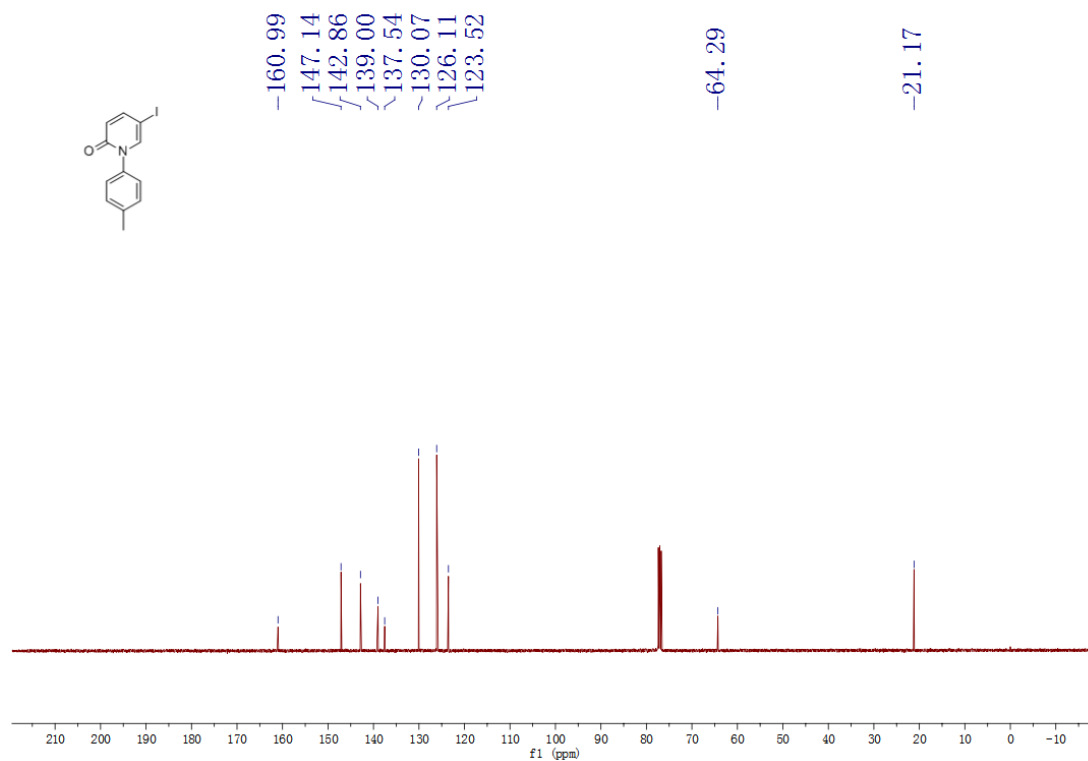
- [1] K. Kossen, S. D. Seiwert, V. Serebryany, D. Ruhrmund, L. Beigelman, L. F. M. Raveglia, S. Vallese, I. Bianchi, T. Hu, InterMune, Inc., USA . WO2009149188A1, **2009**.
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- [8] S. L. Clarke, G. P. McGlacken, *Tetrahedron* **2015**, 71, 2906-2913.
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Copies of ^1H NMR, and ^{13}C NMR Spectra for Iodopyridinones

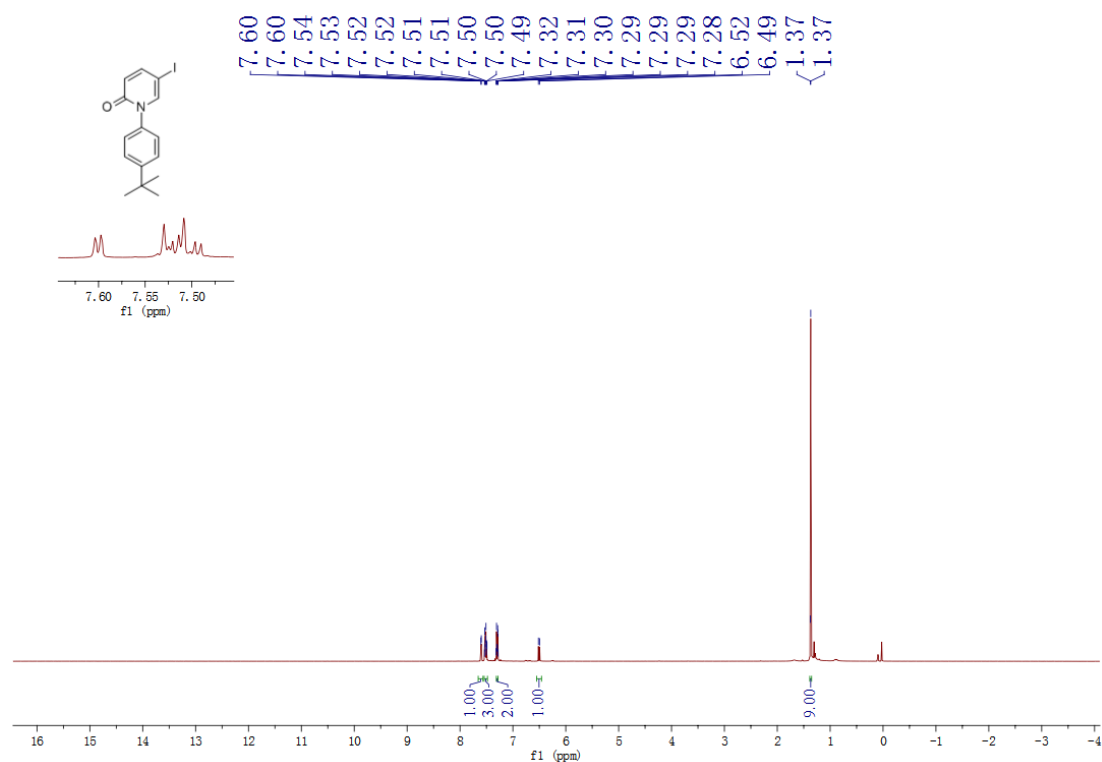
^1H NMR spectrum of **2b** in CDCl_3



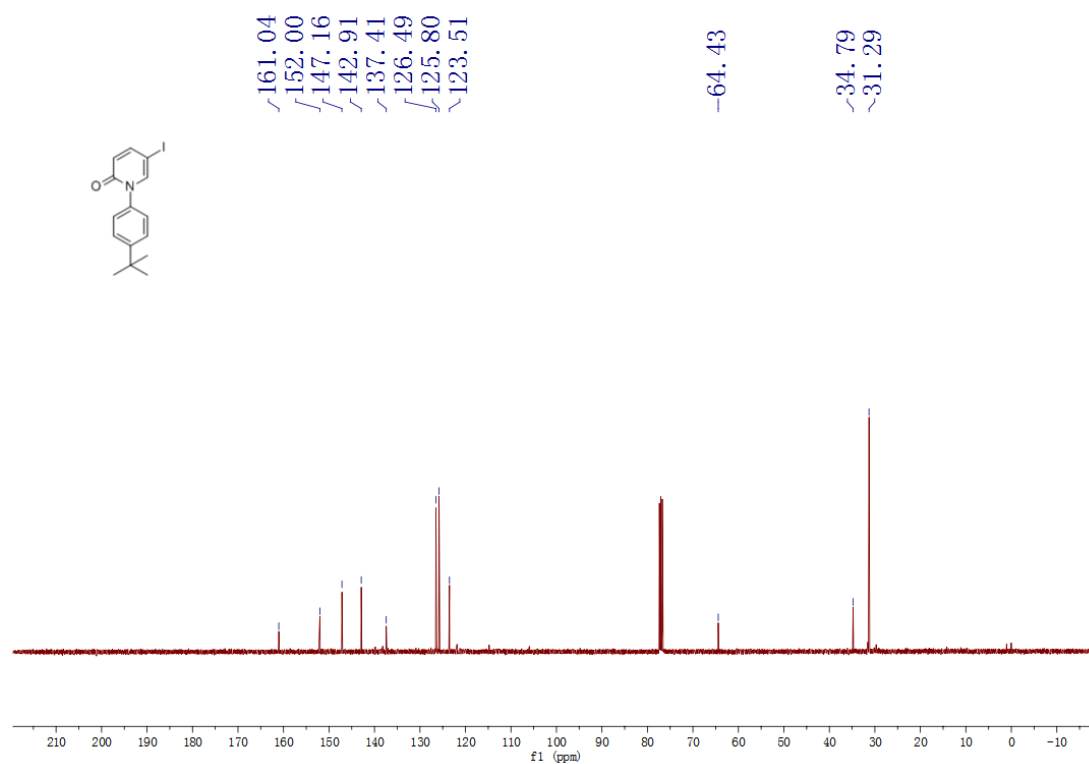
^{13}C NMR spectrum of **2b** in CDCl_3



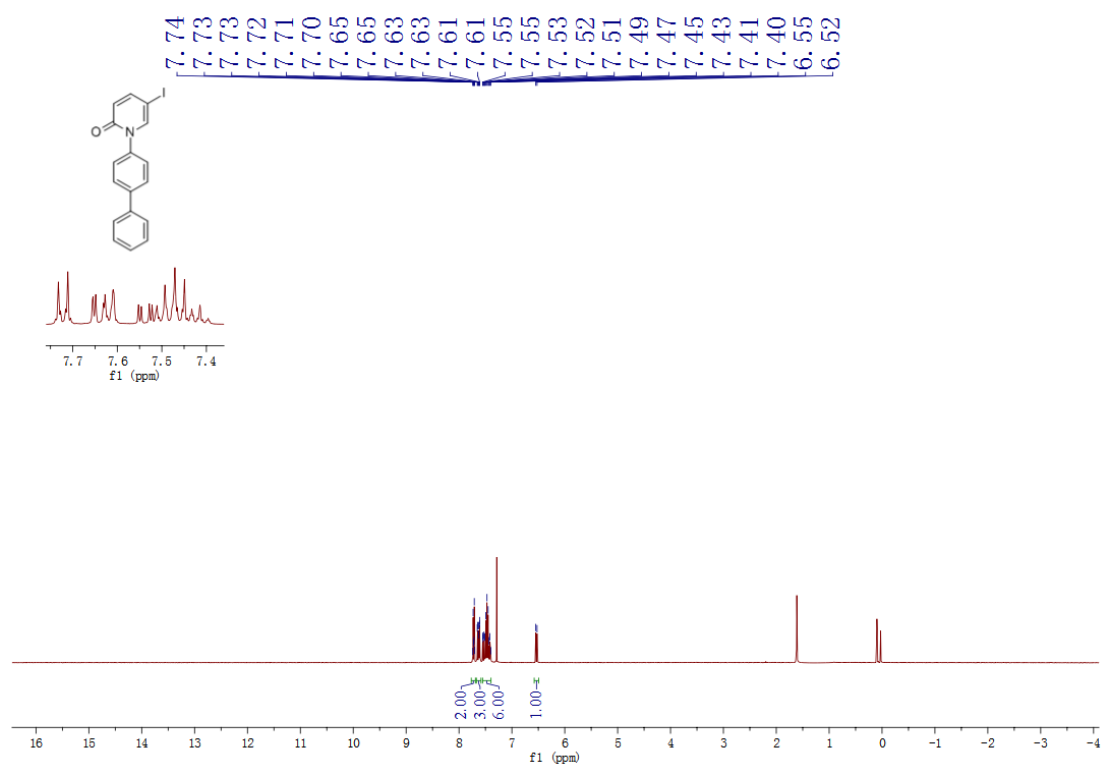
^1H NMR spectrum of **2c** in CDCl_3



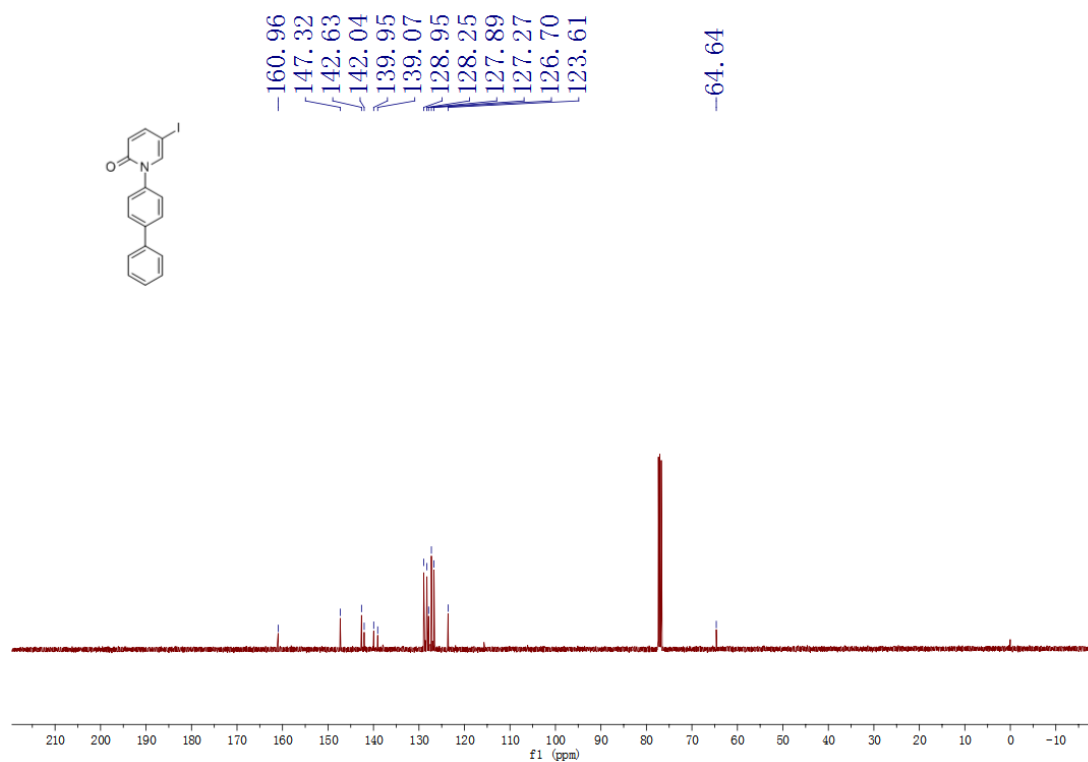
^{13}C NMR spectrum of **2c** in CDCl_3



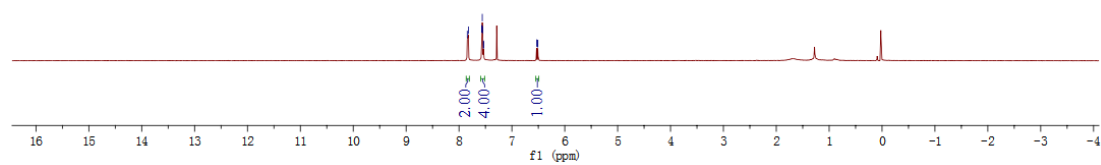
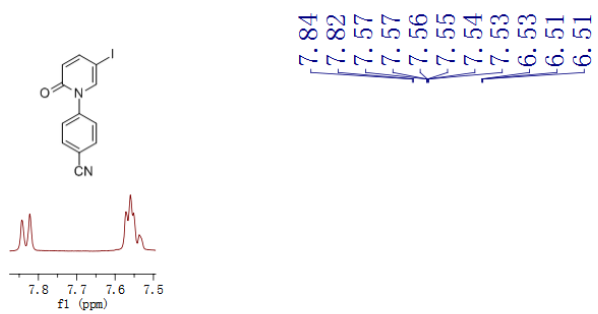
^1H NMR spectrum of **2d** in CDCl_3



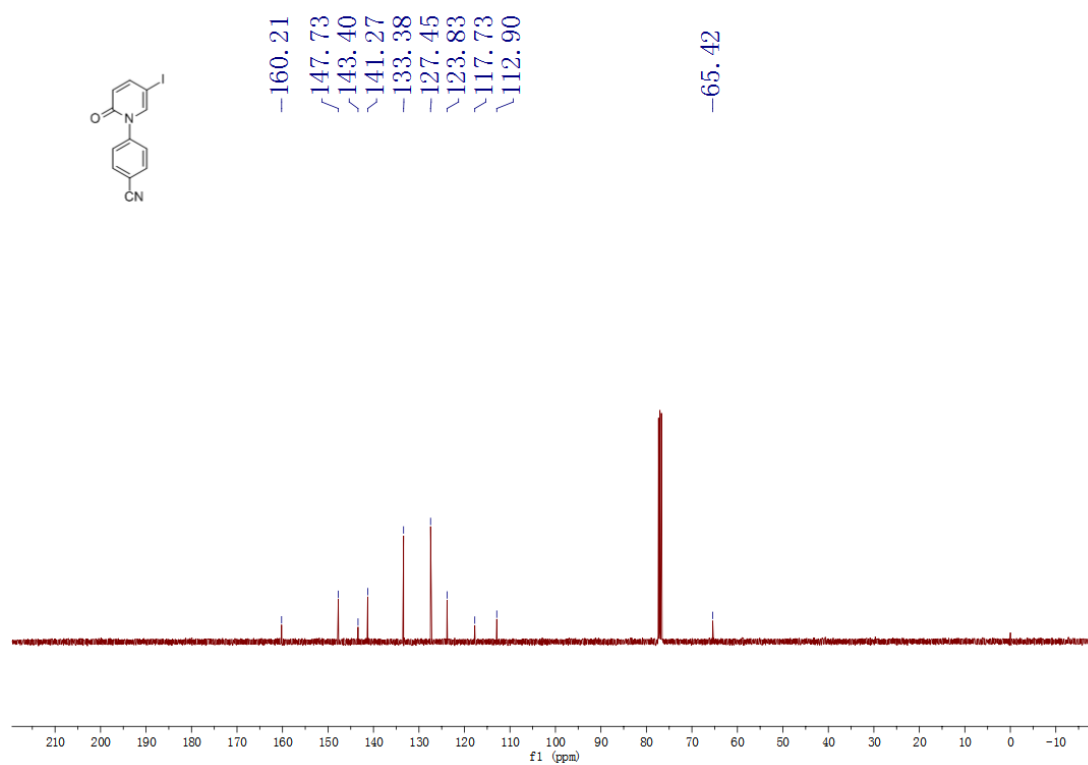
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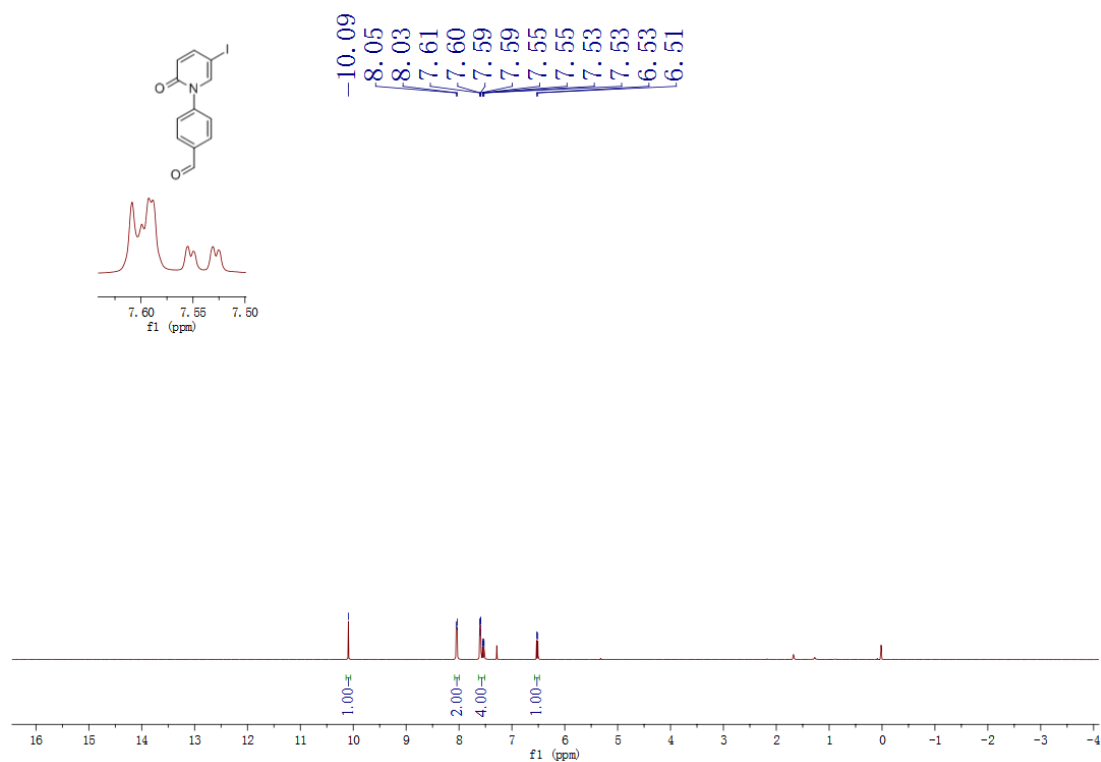
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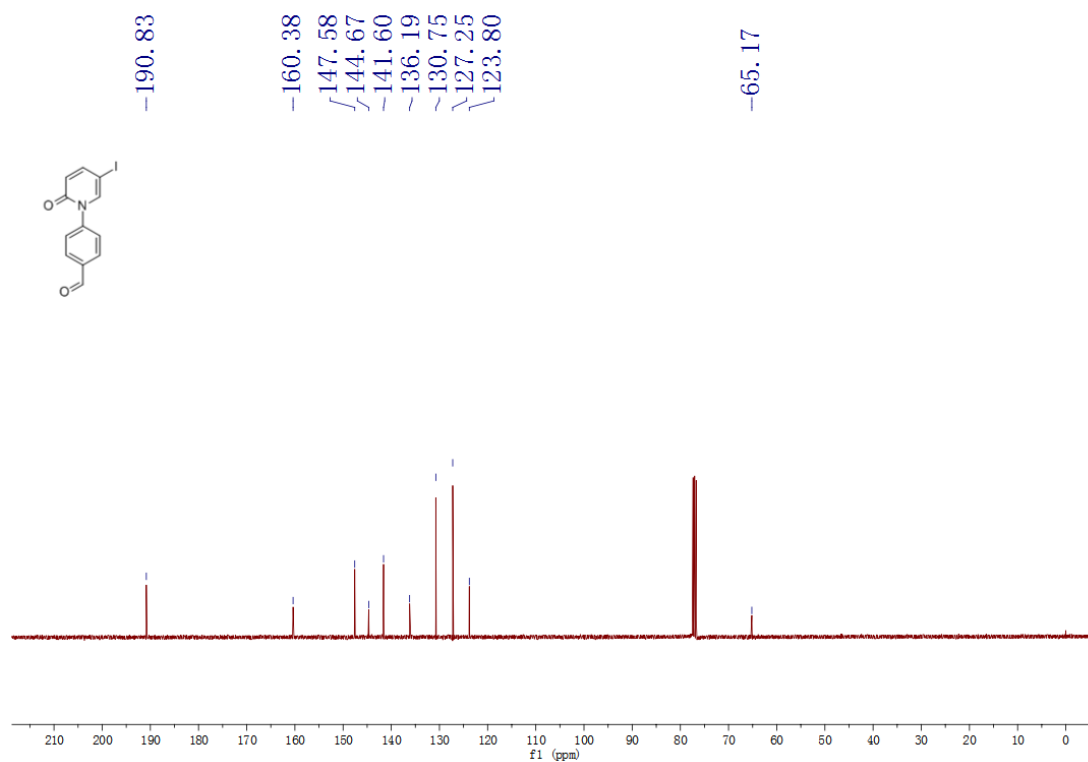
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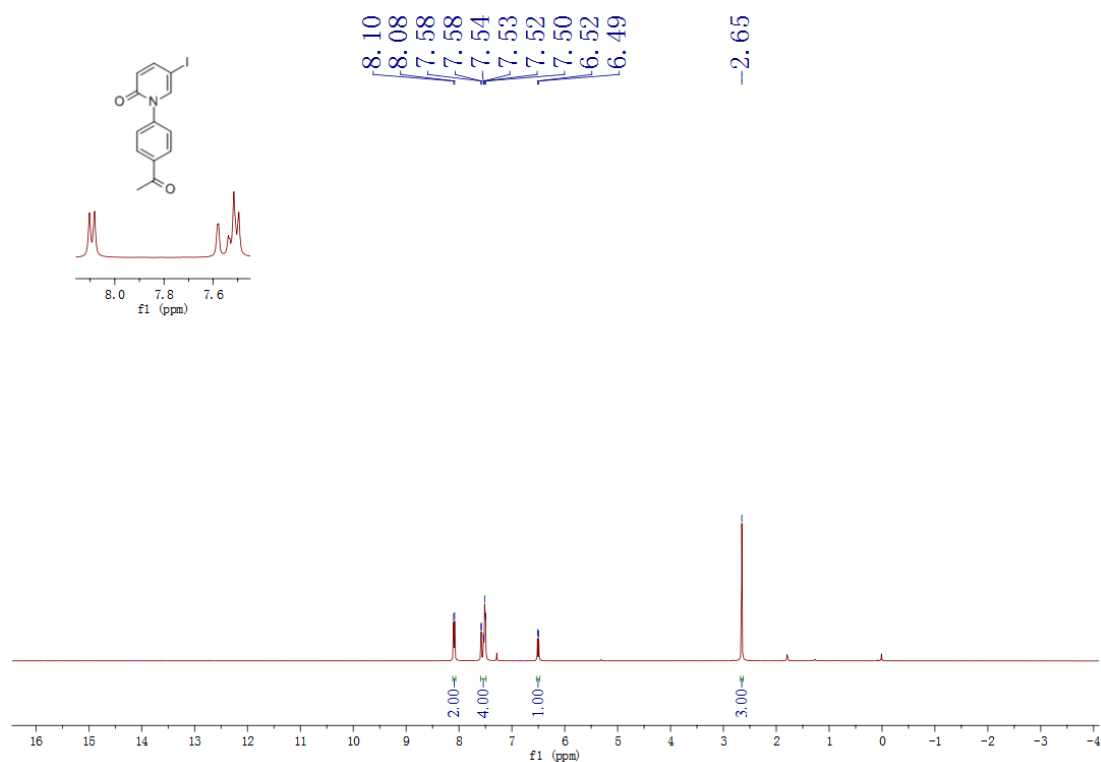
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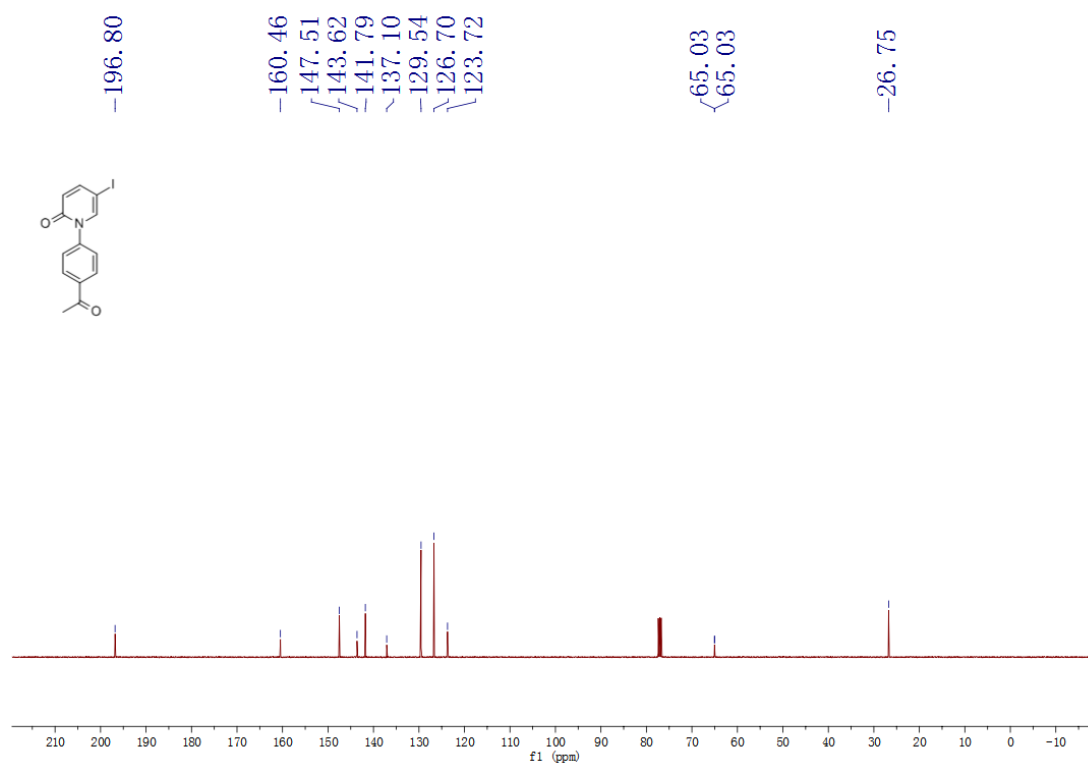
^{13}C NMR spectrum of **2f** in CDCl_3



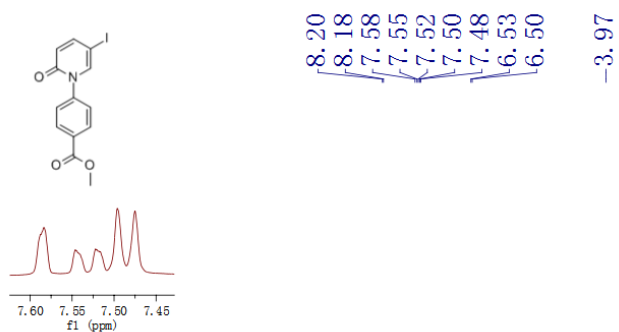
^1H NMR spectrum of **2g** in CDCl_3



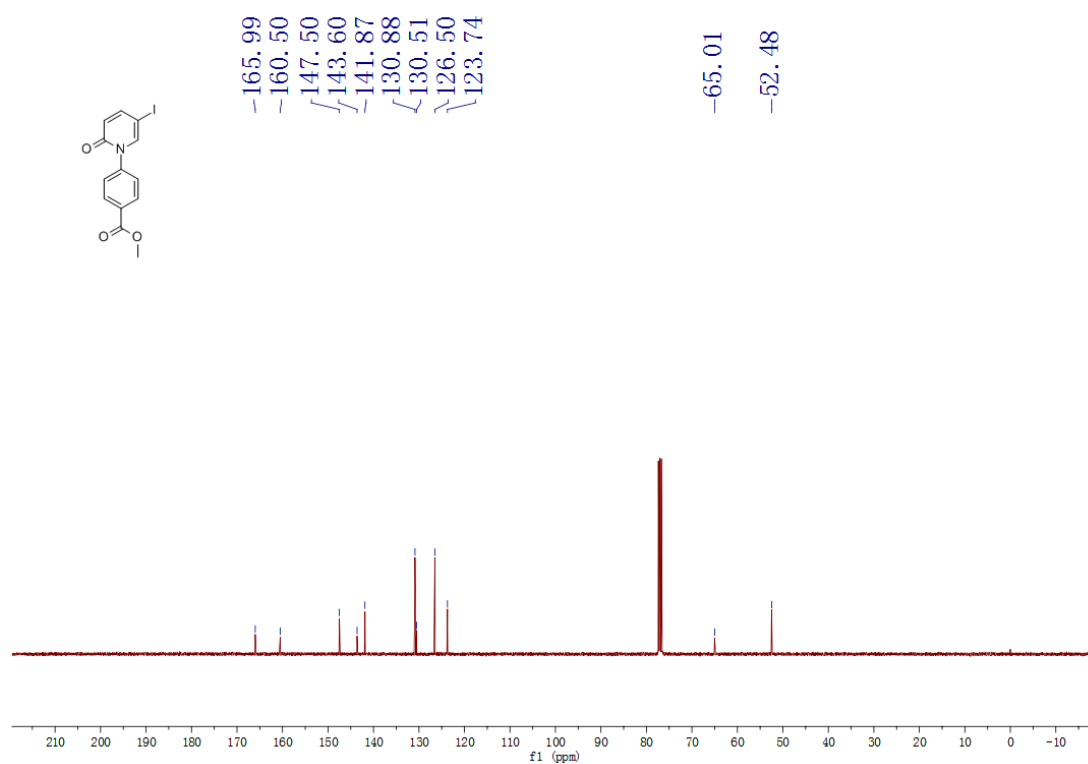
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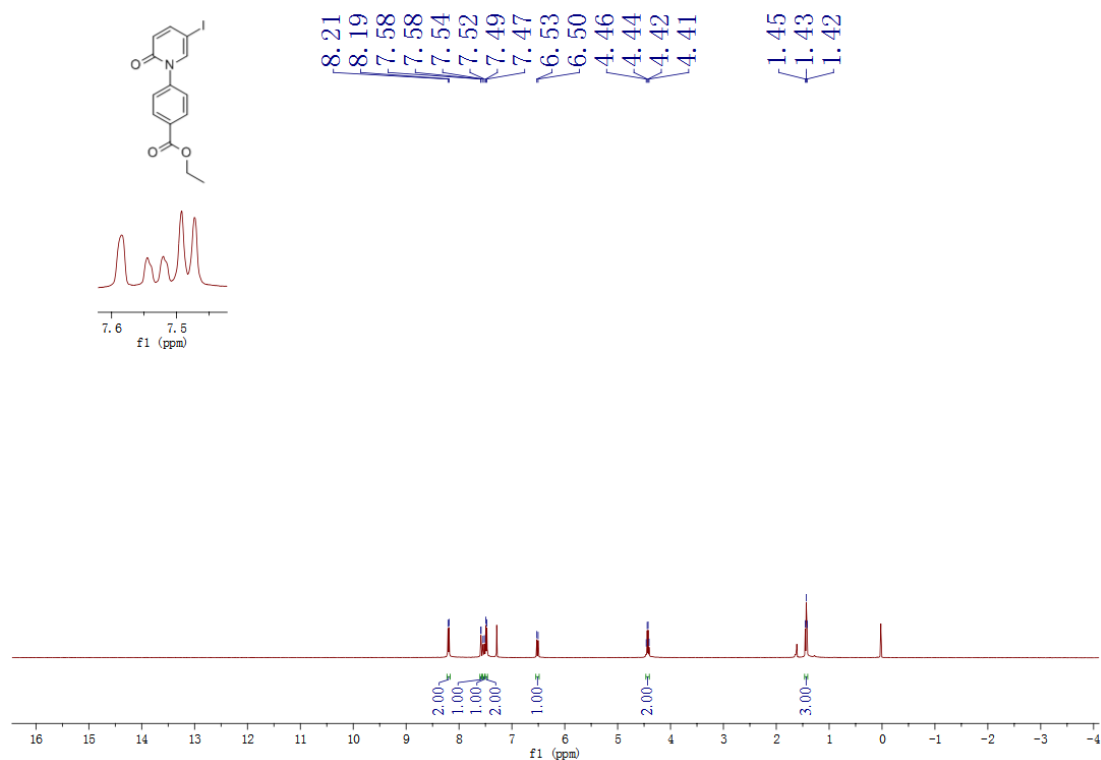
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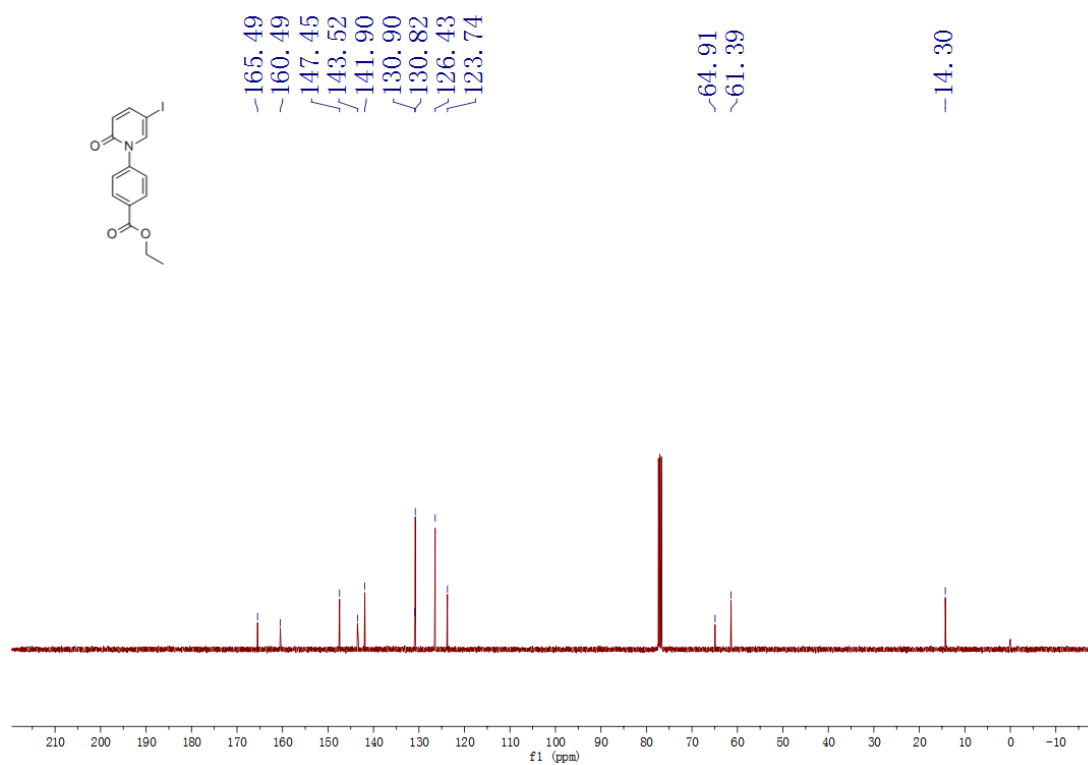
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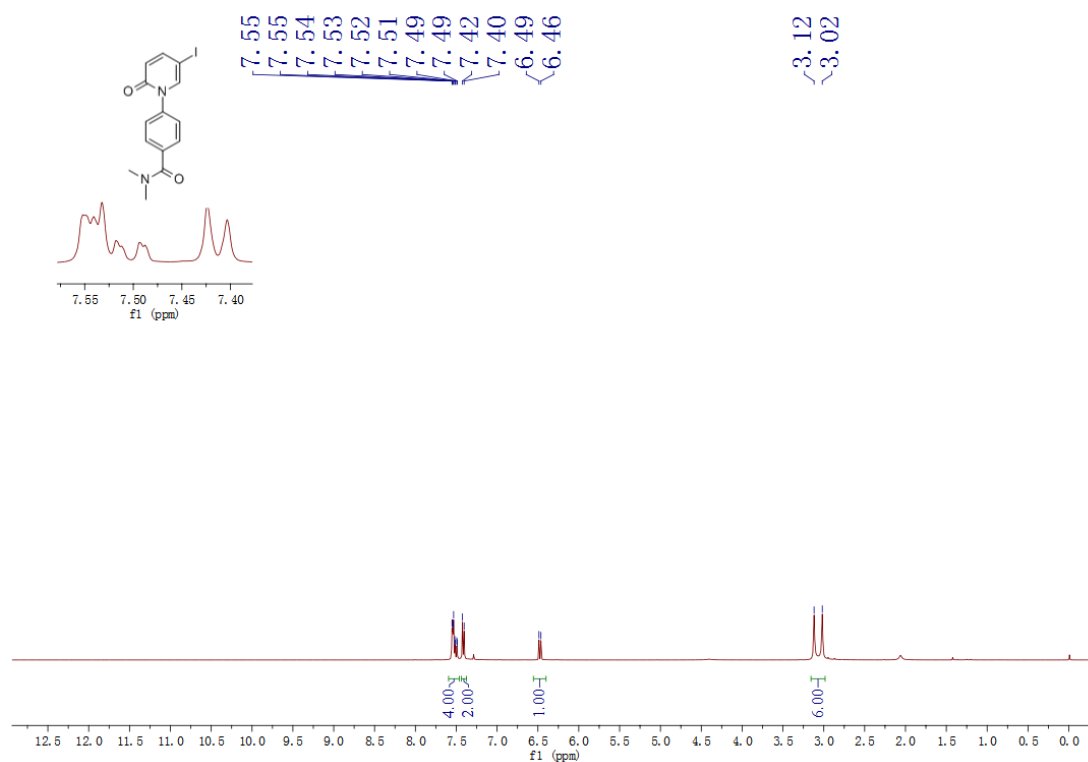
^1H NMR spectrum of **2i** in CDCl_3



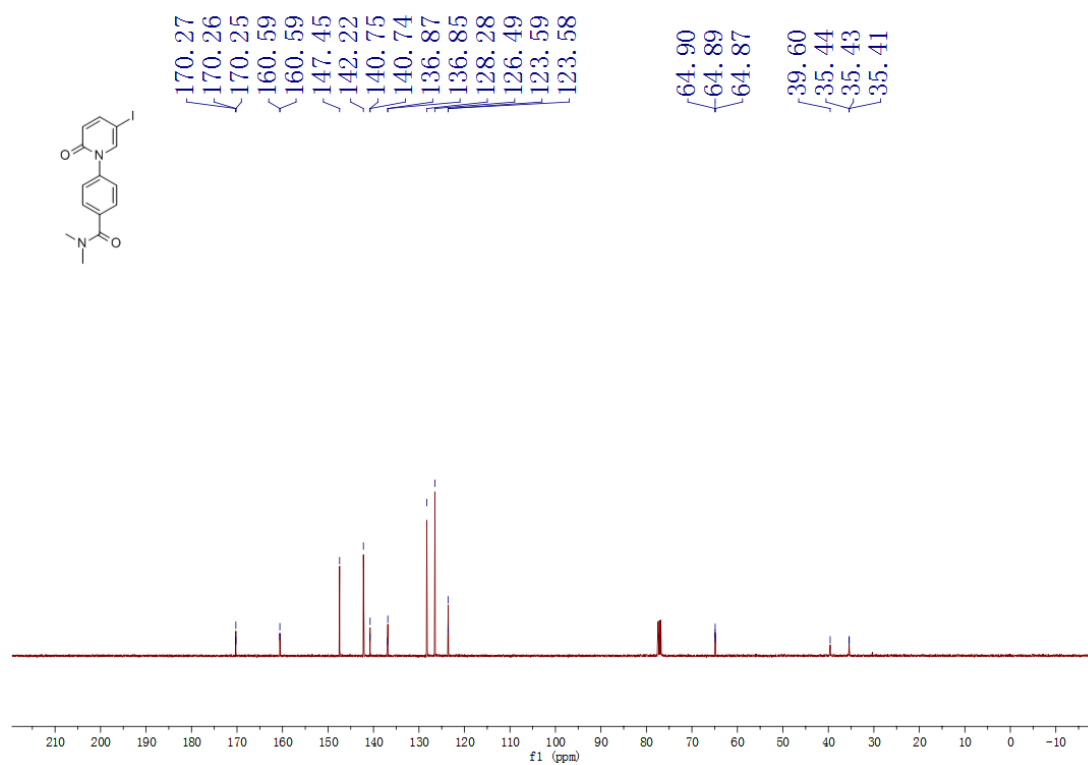
^{13}C NMR spectrum of **2i** in CDCl_3



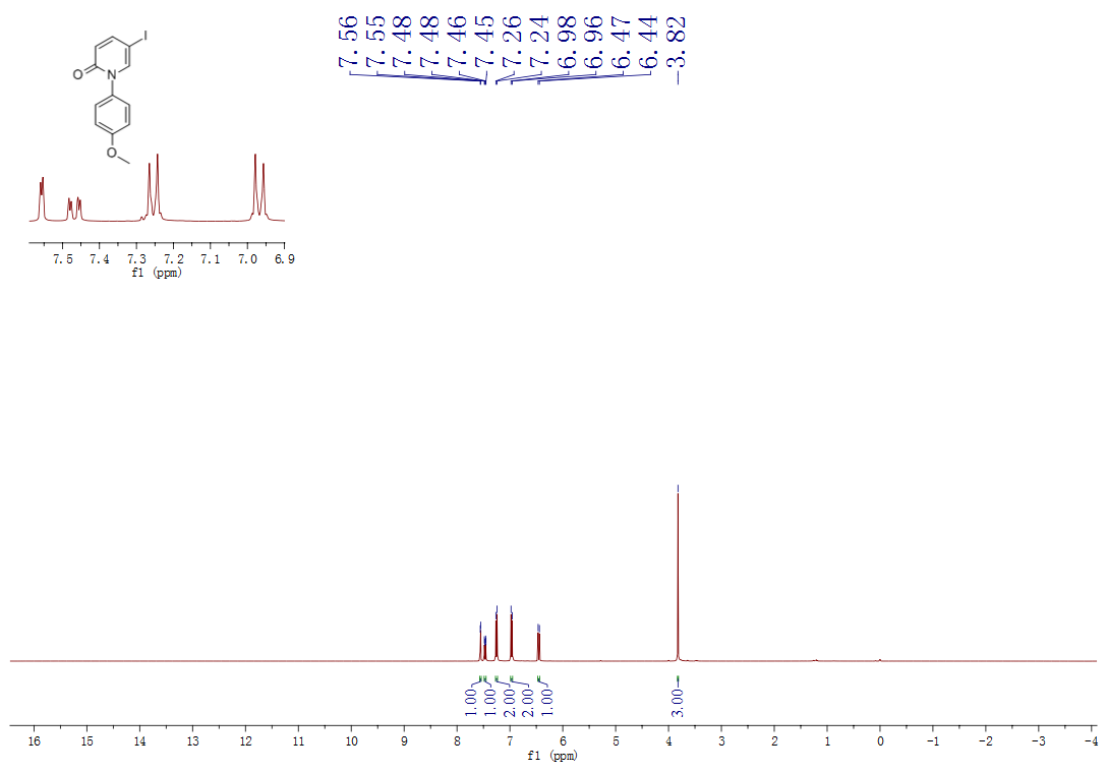
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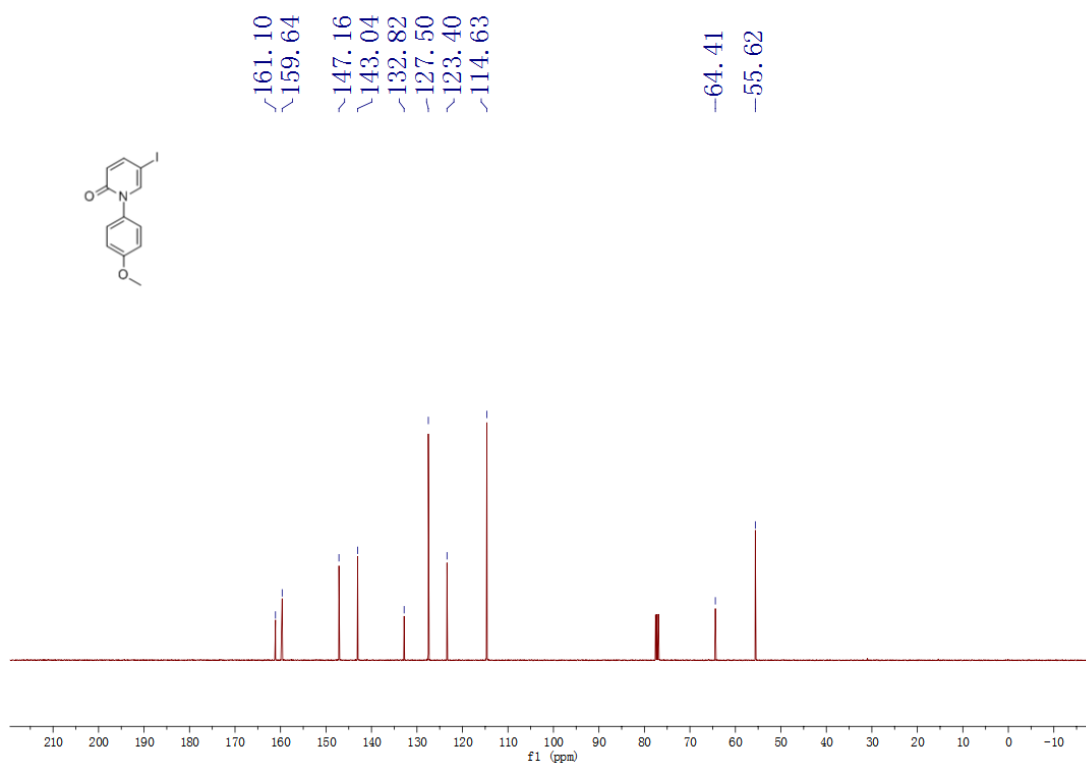
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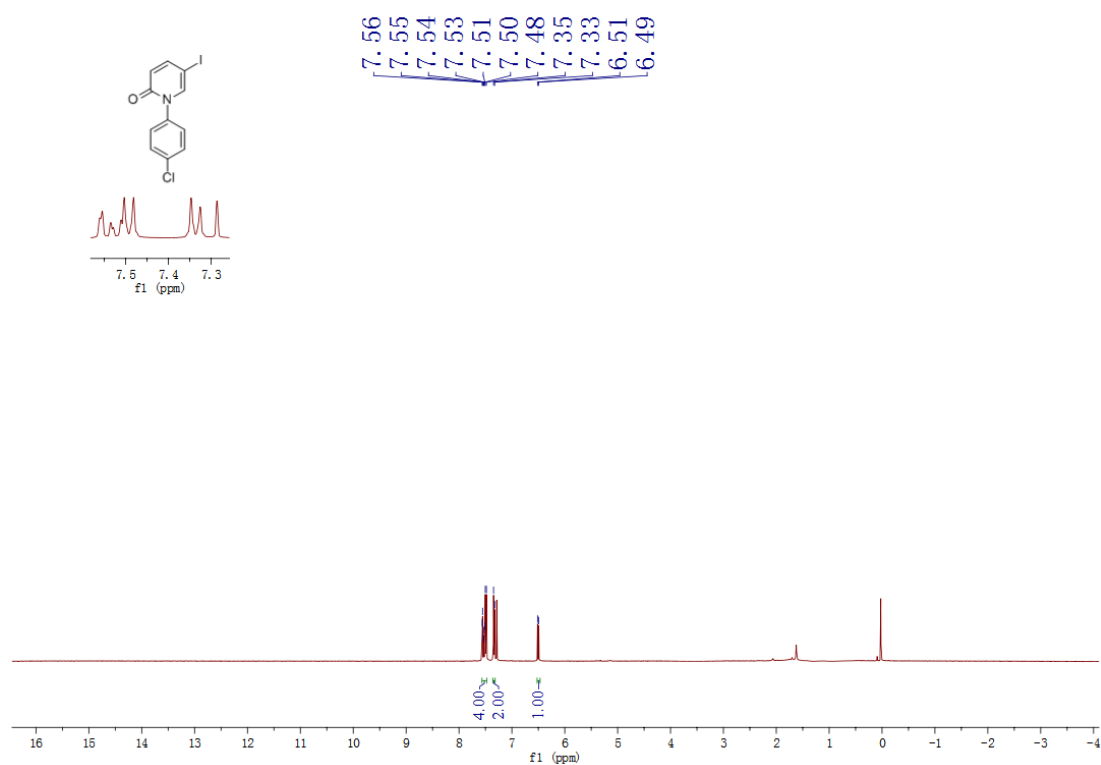
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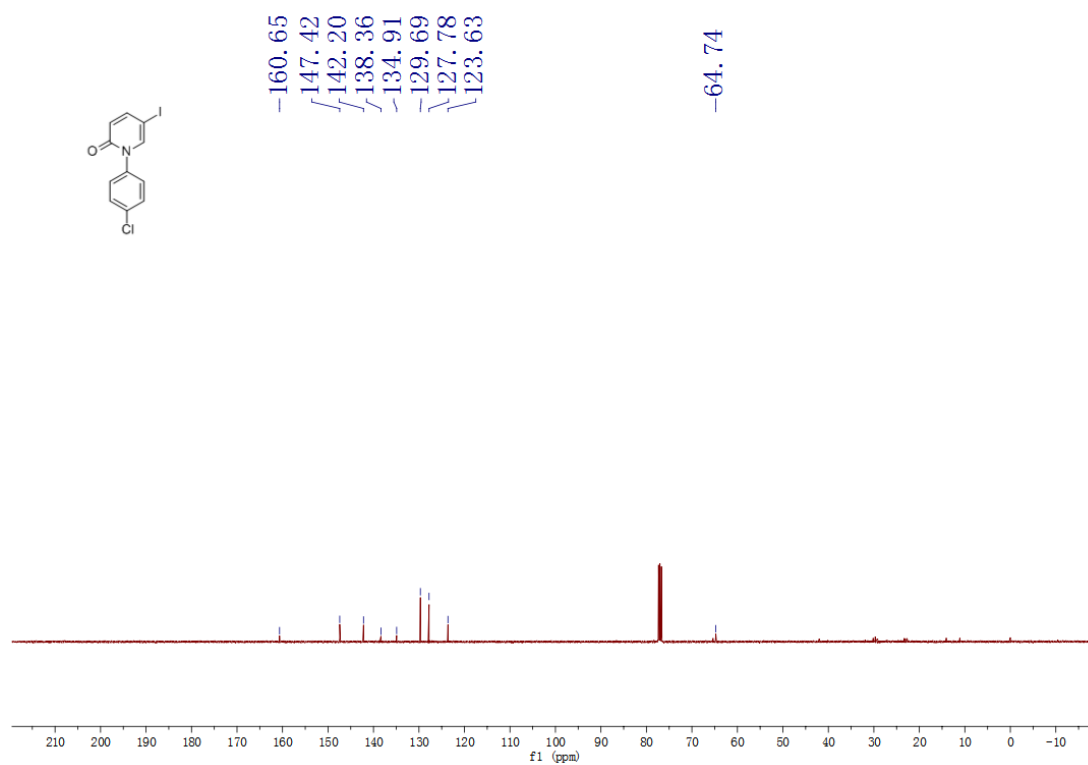
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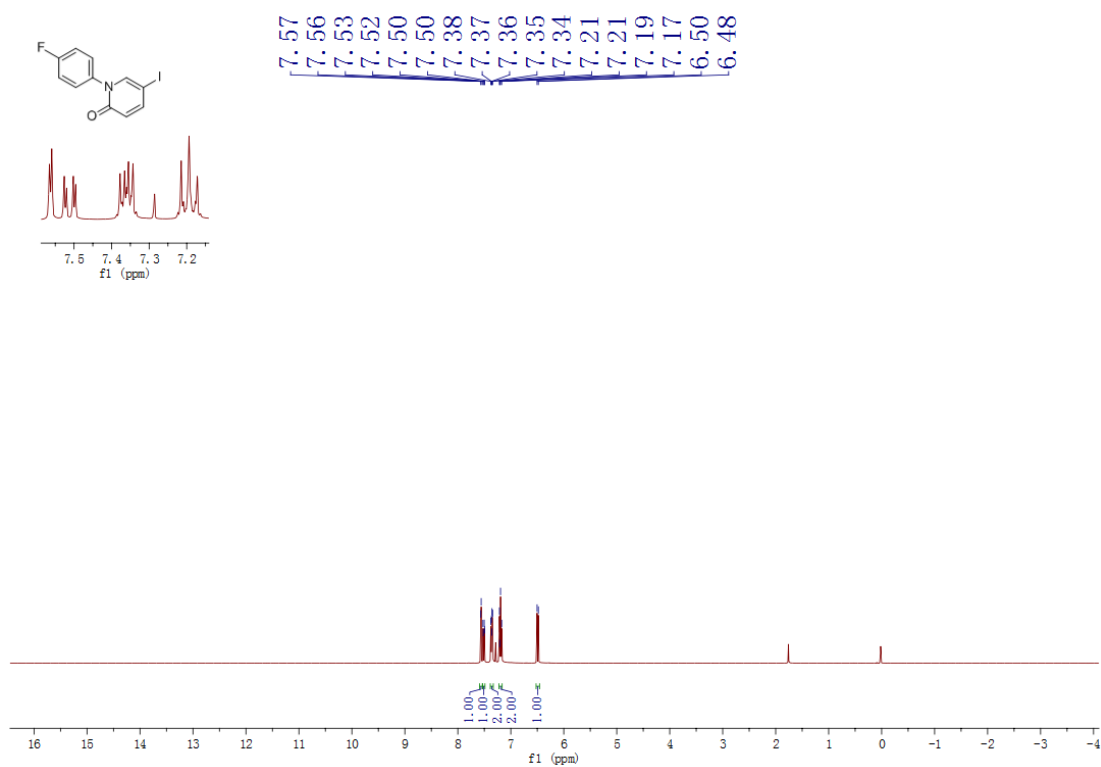
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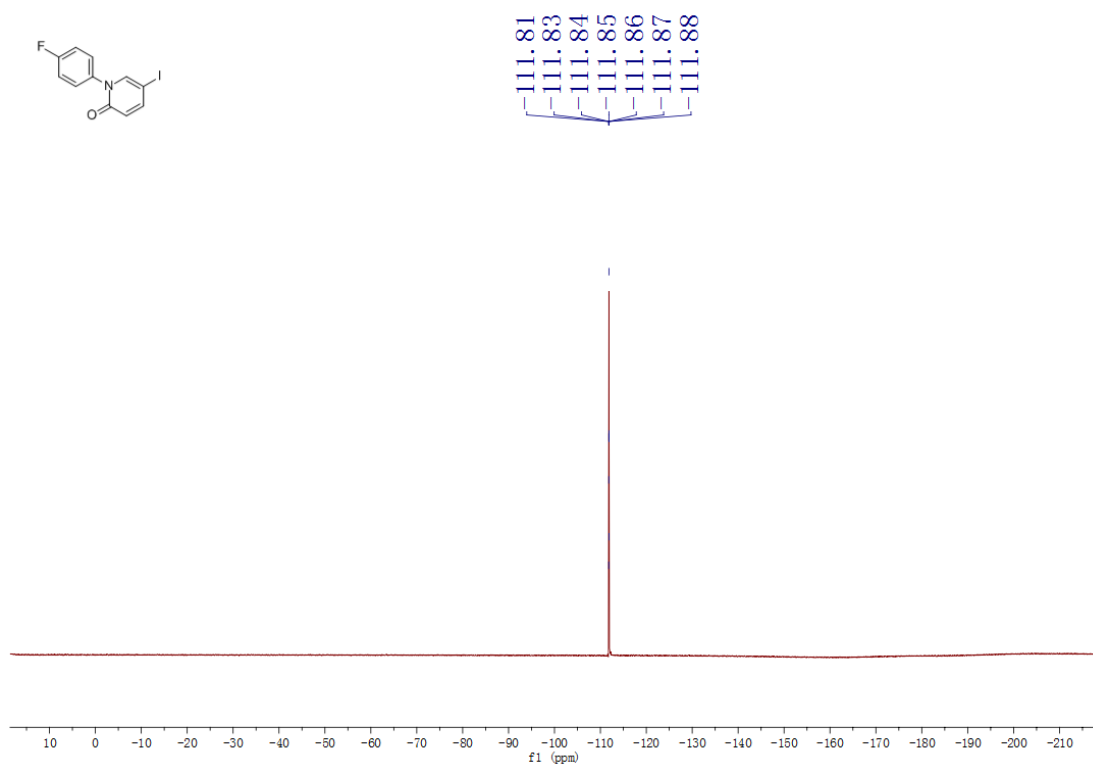
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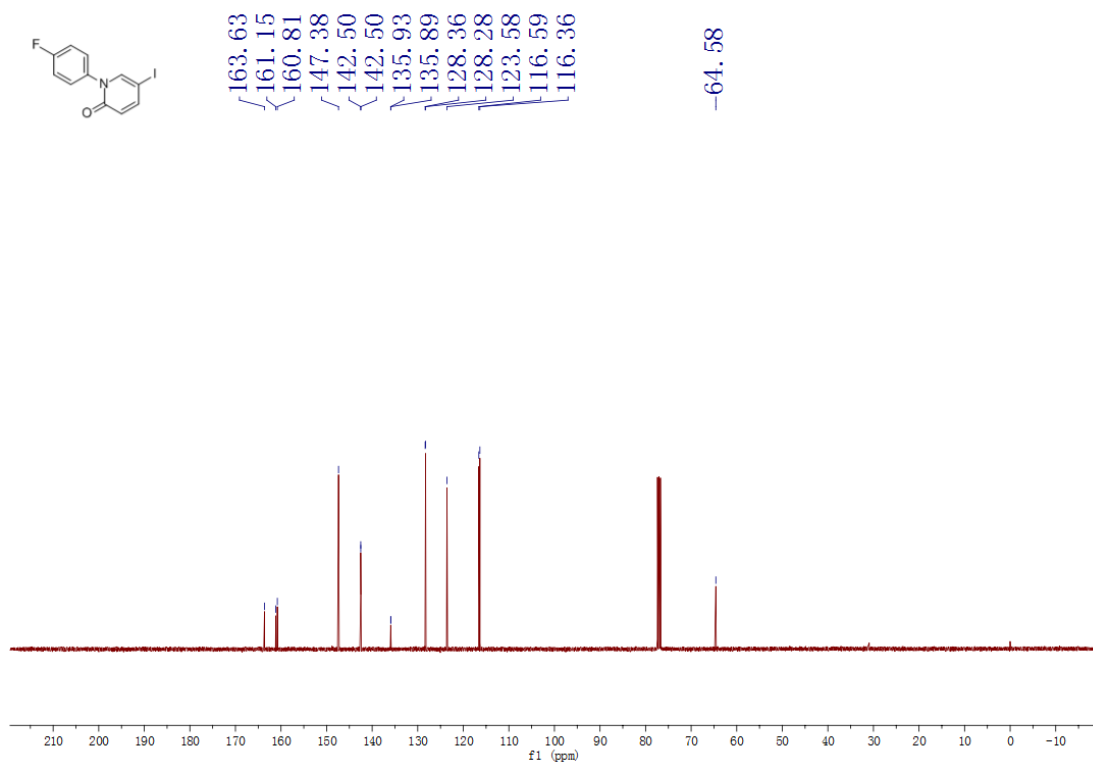
^1H NMR spectrum of **2m** in CDCl_3



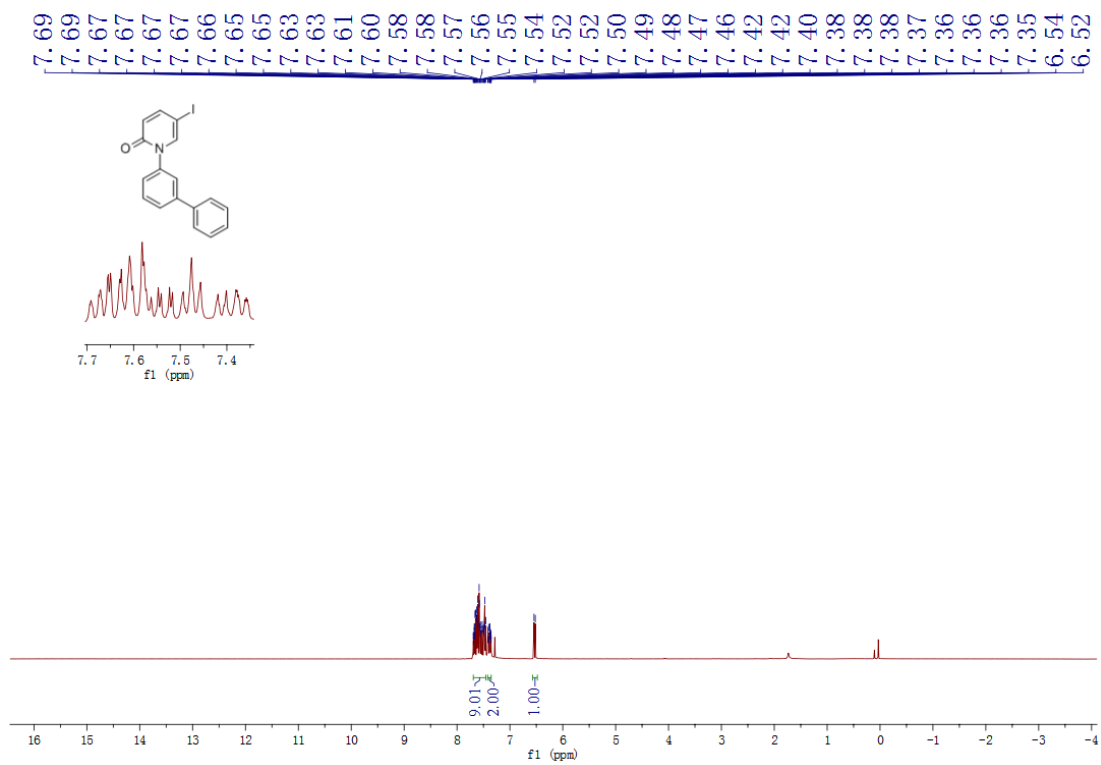
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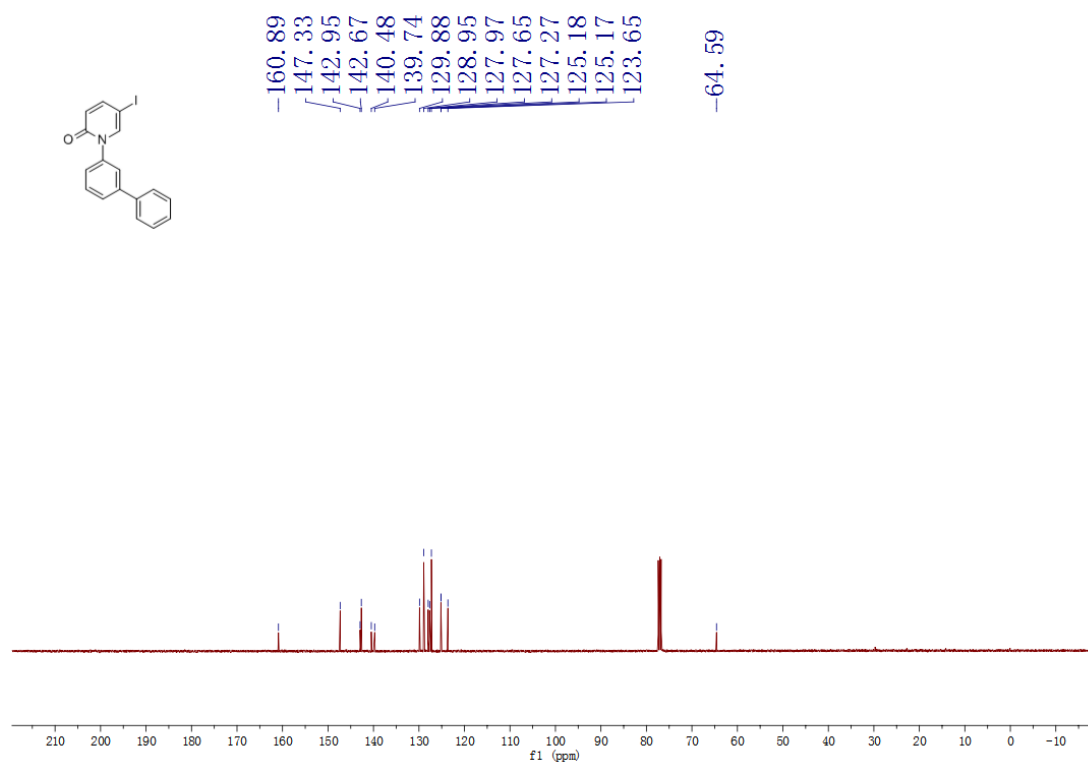
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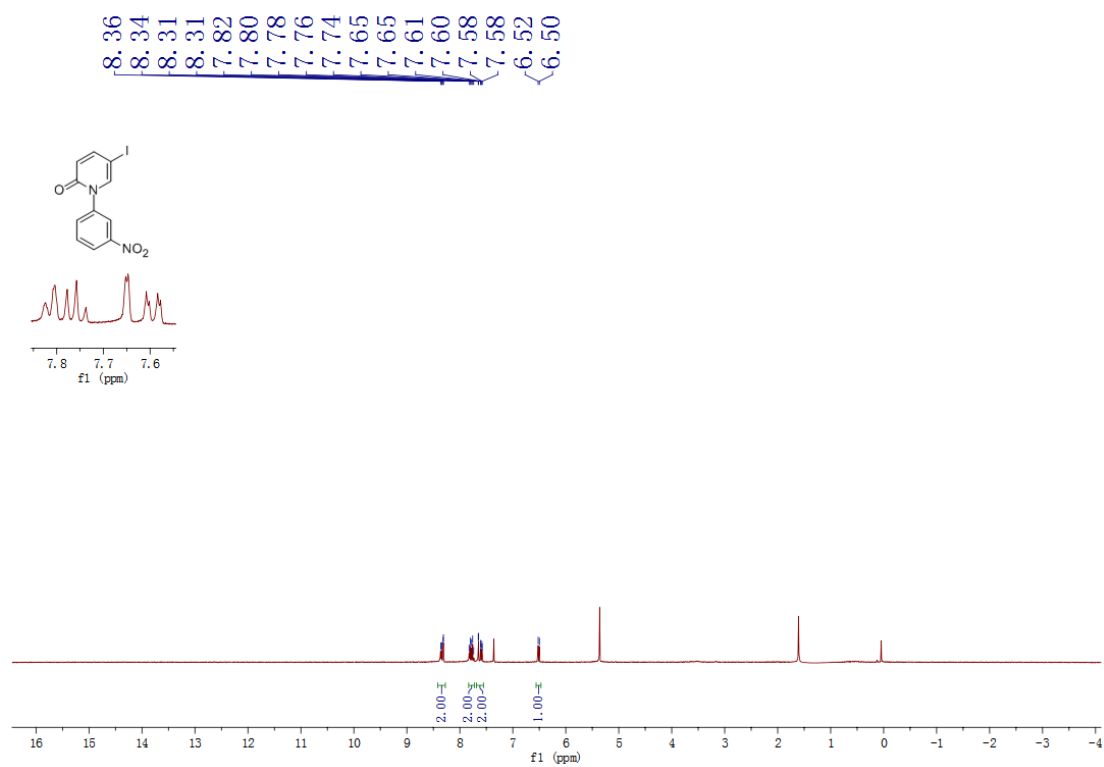
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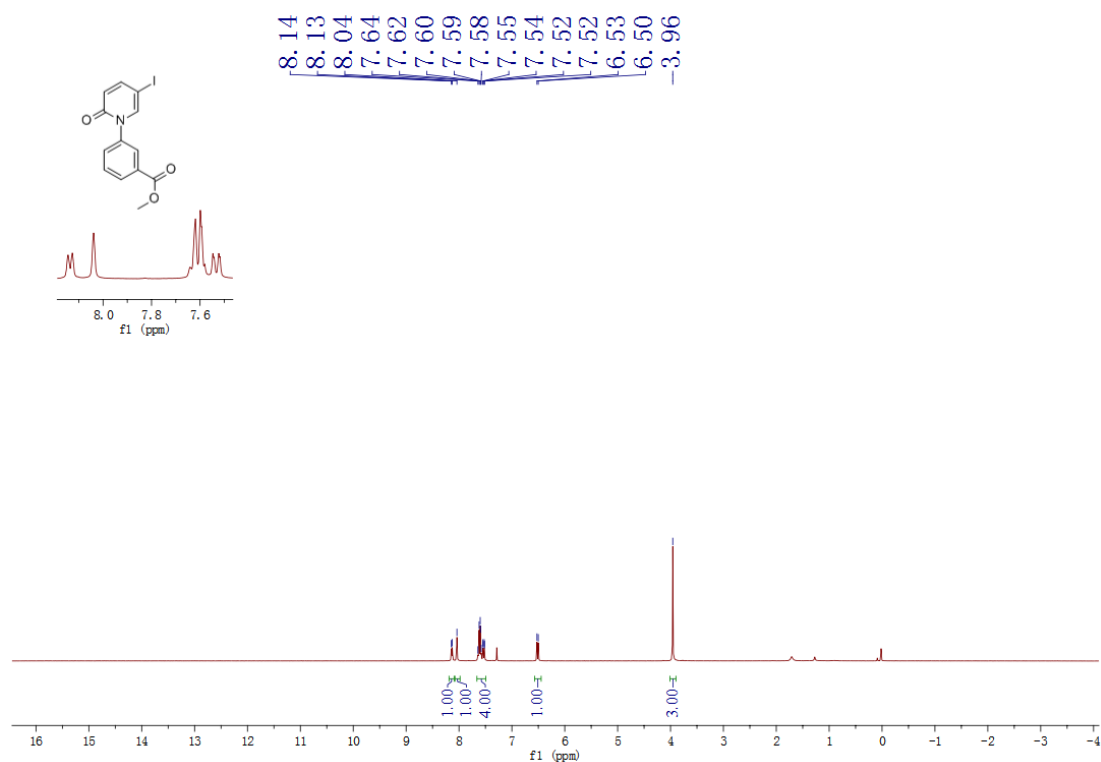
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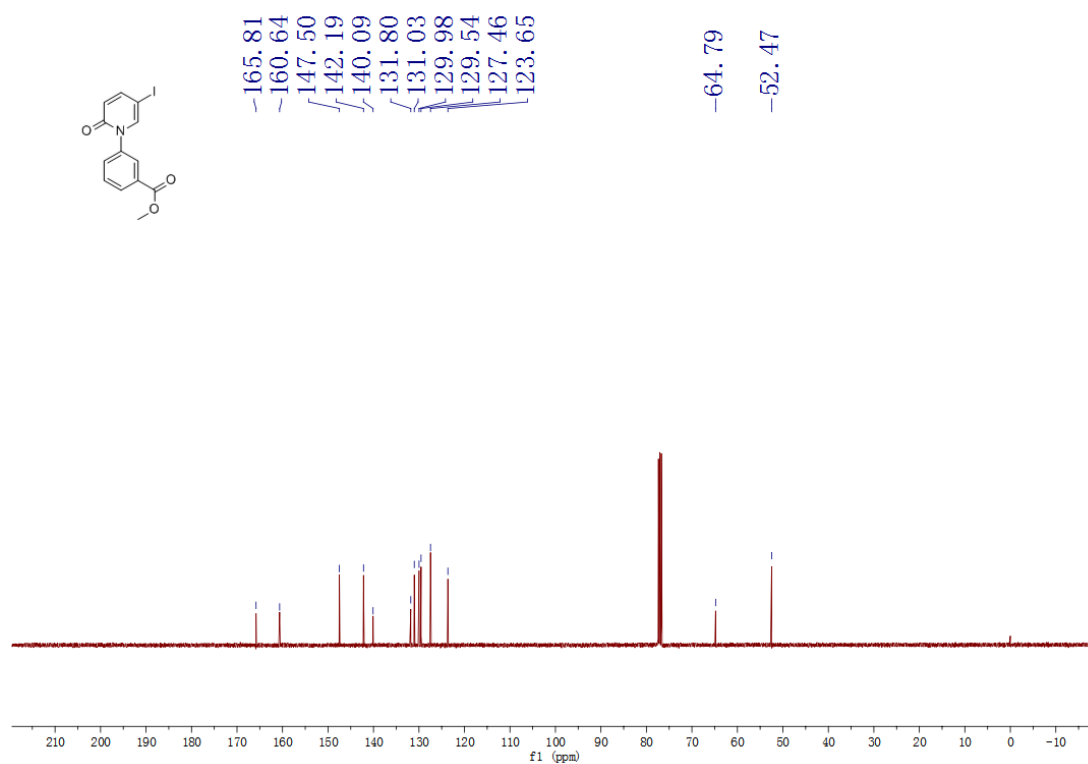
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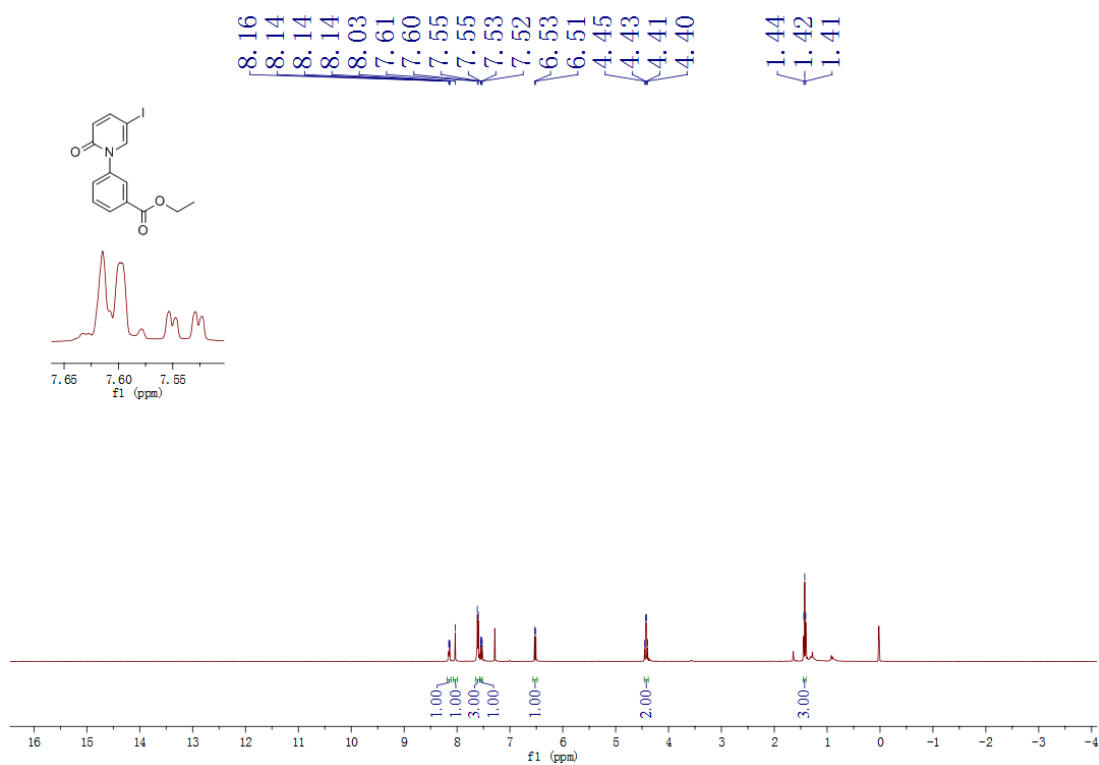
^1H NMR spectrum of **2p** in CDCl_3



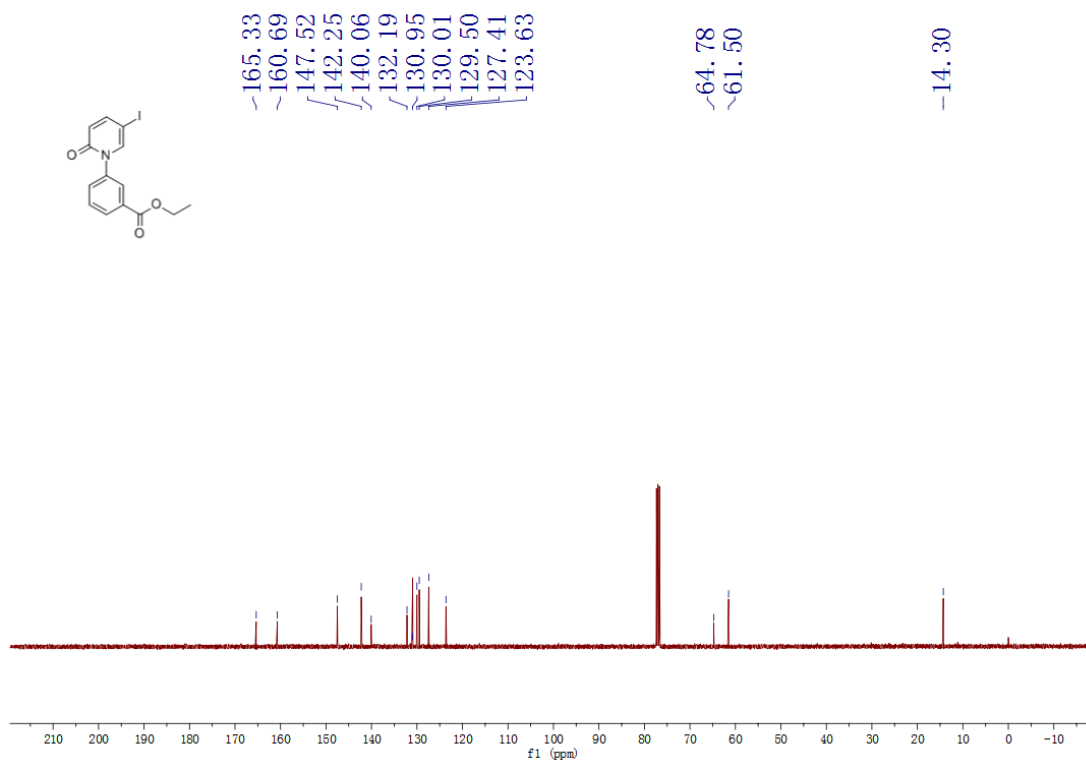
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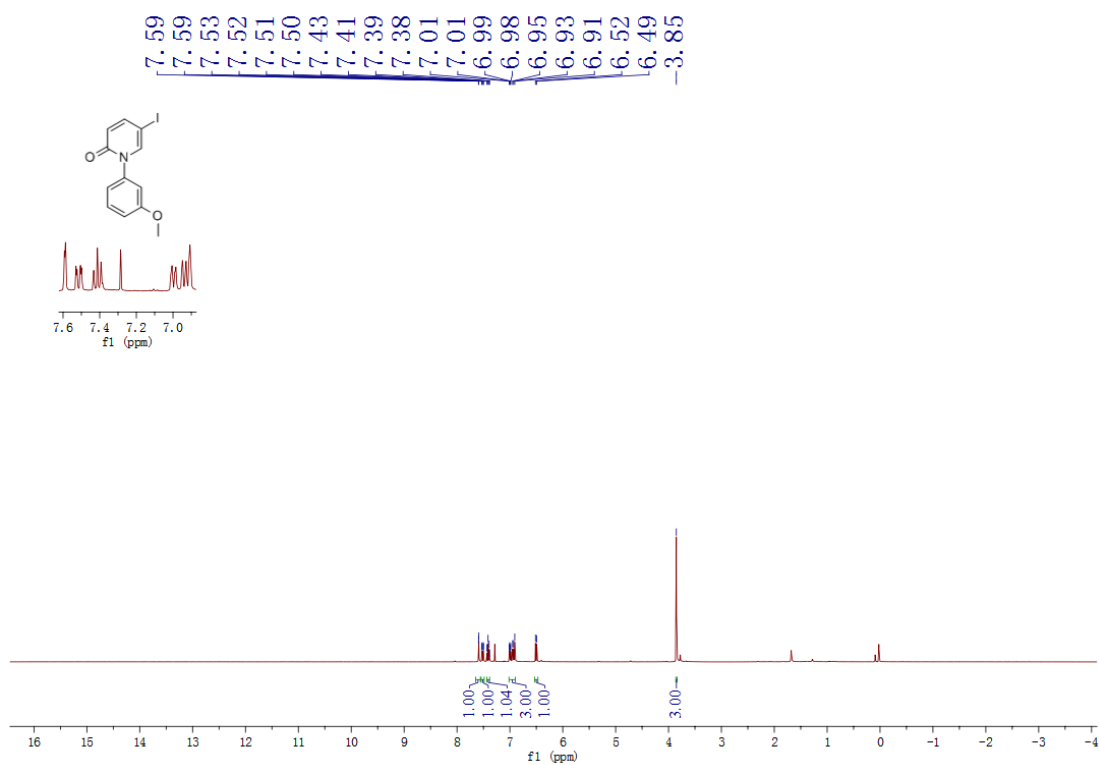
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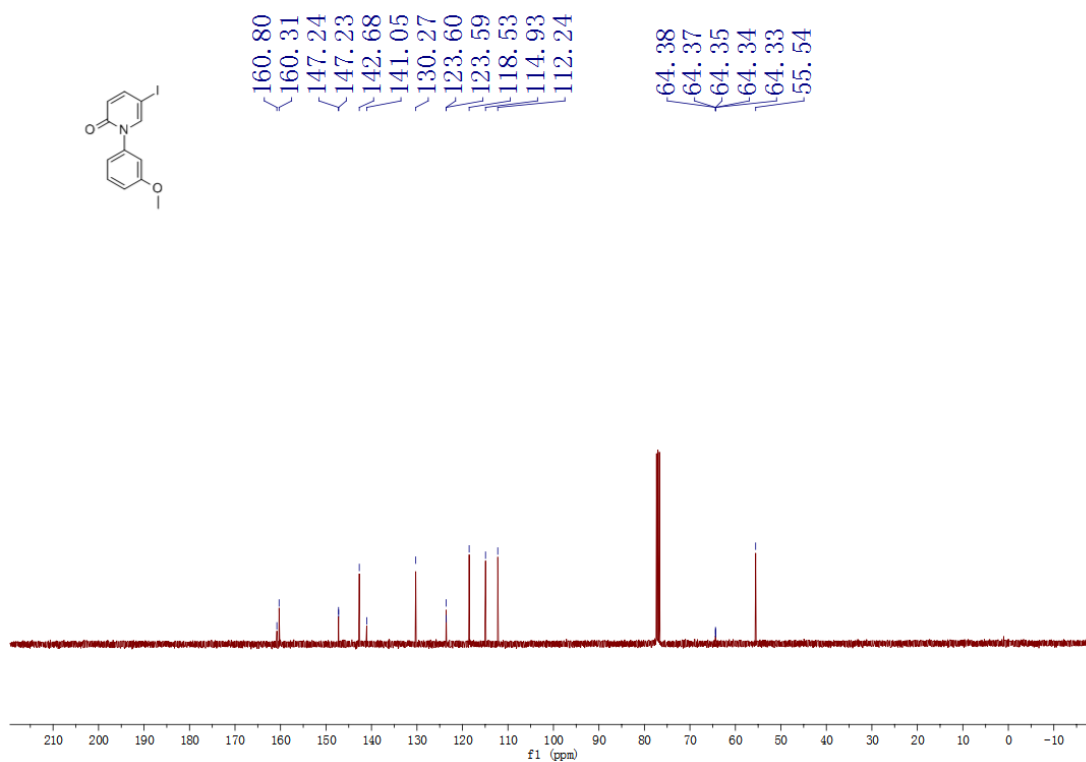
^{13}C NMR spectrum of **2q** in CDCl_3



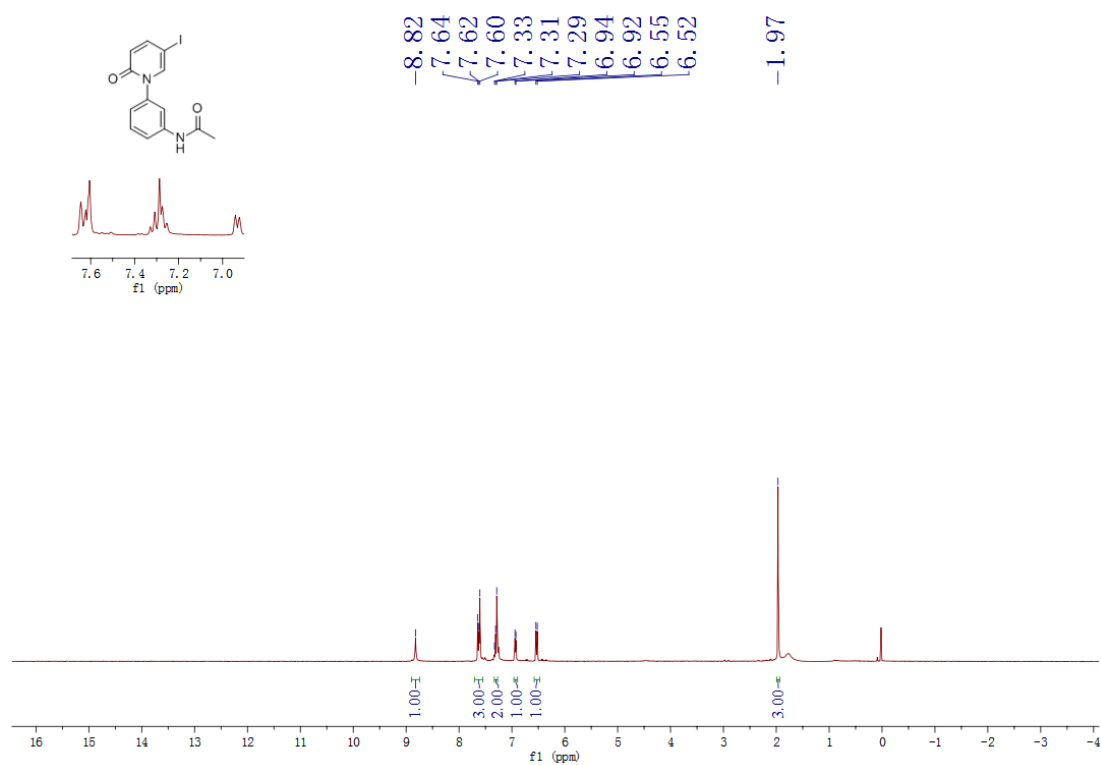
^1H NMR spectrum of **2r** in CDCl_3



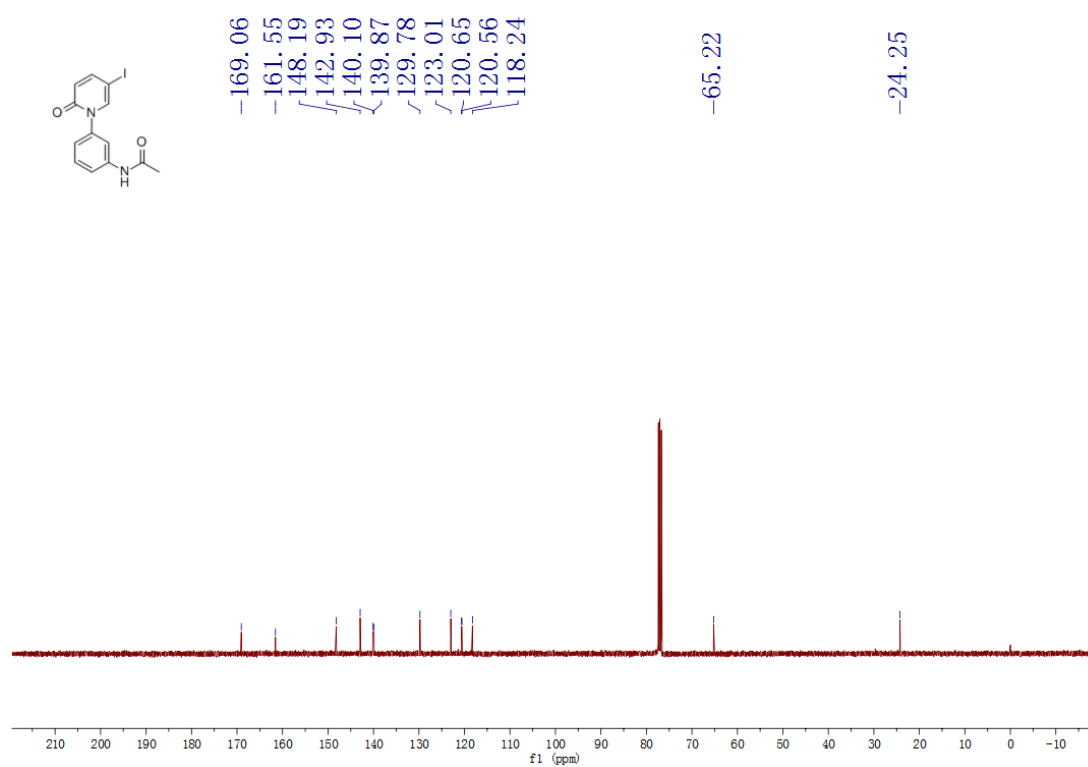
^{13}C NMR spectrum of **2r** in CDCl_3



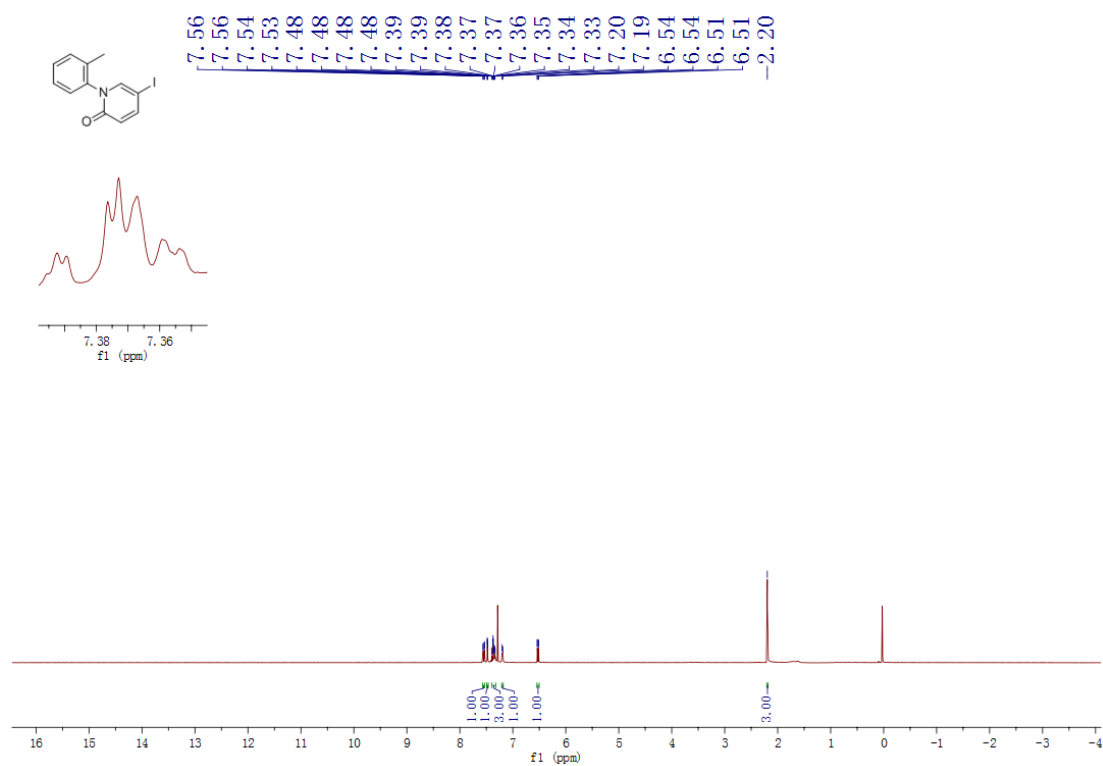
^1H NMR spectrum of **2s** in CDCl_3



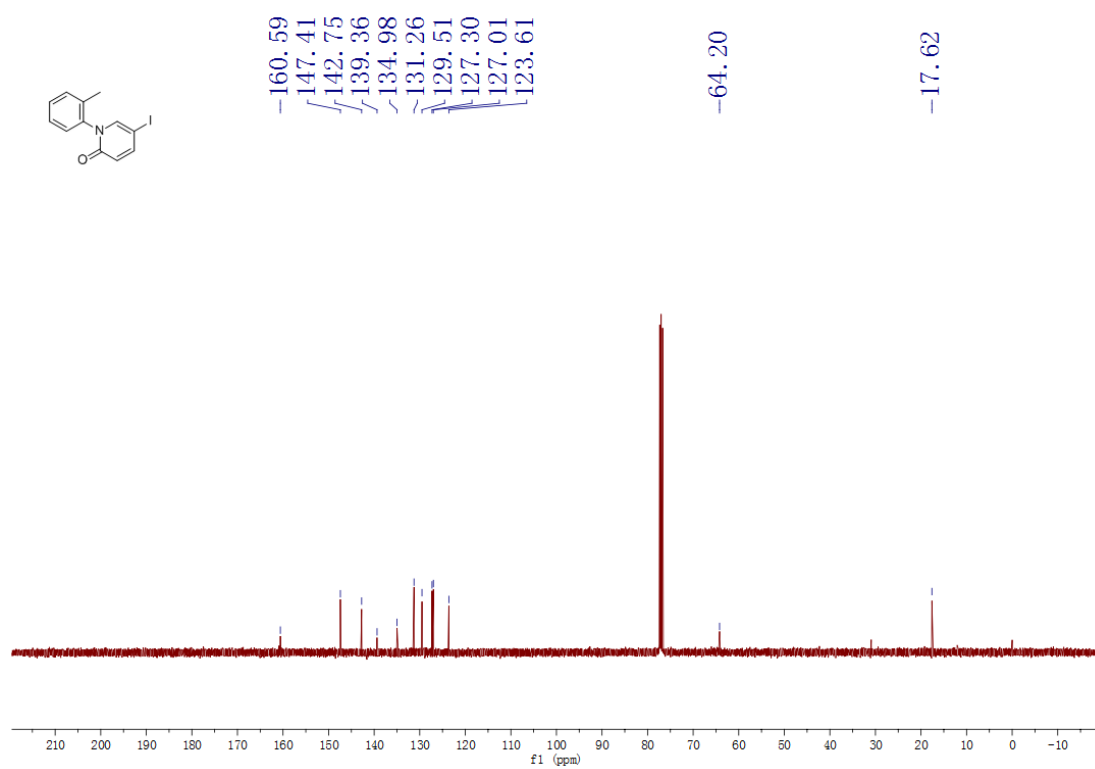
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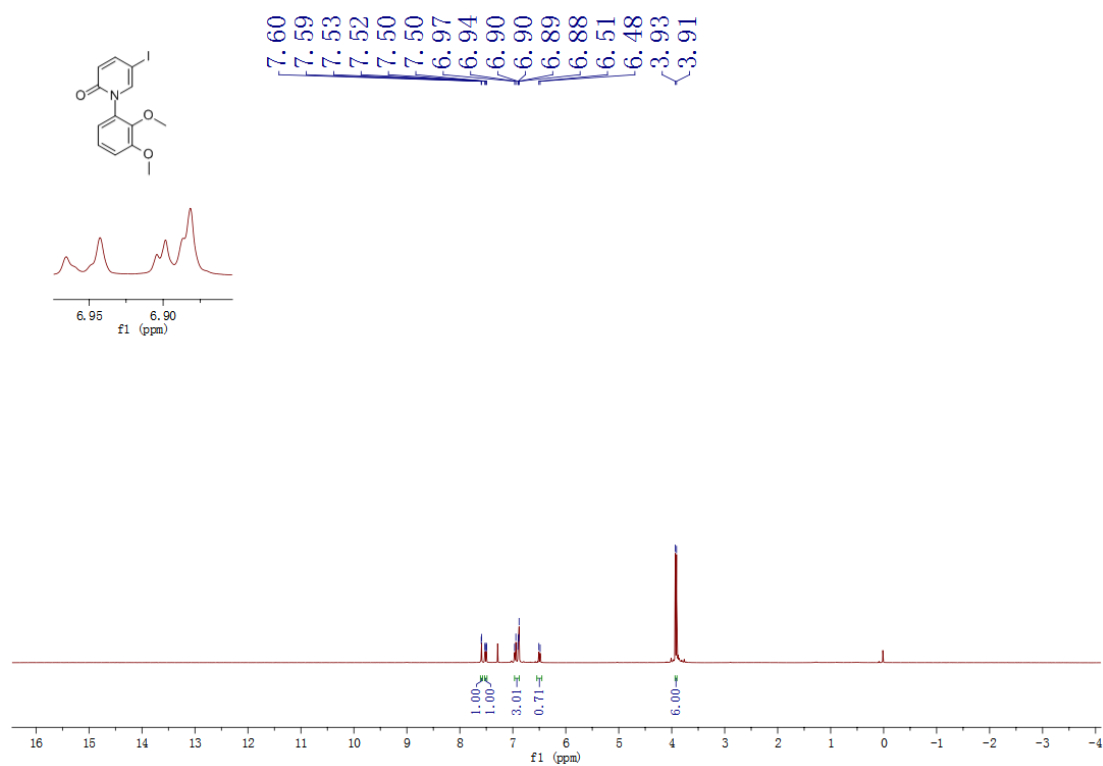
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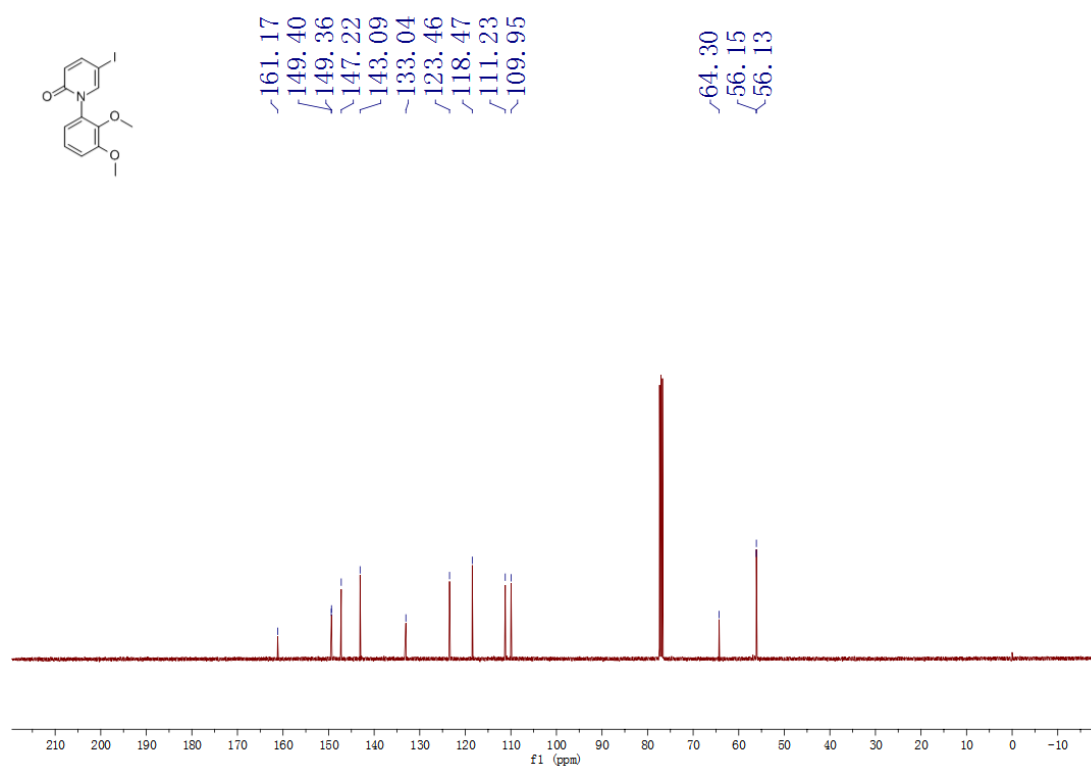
^{13}C NMR spectrum of **2t** in CDCl_3



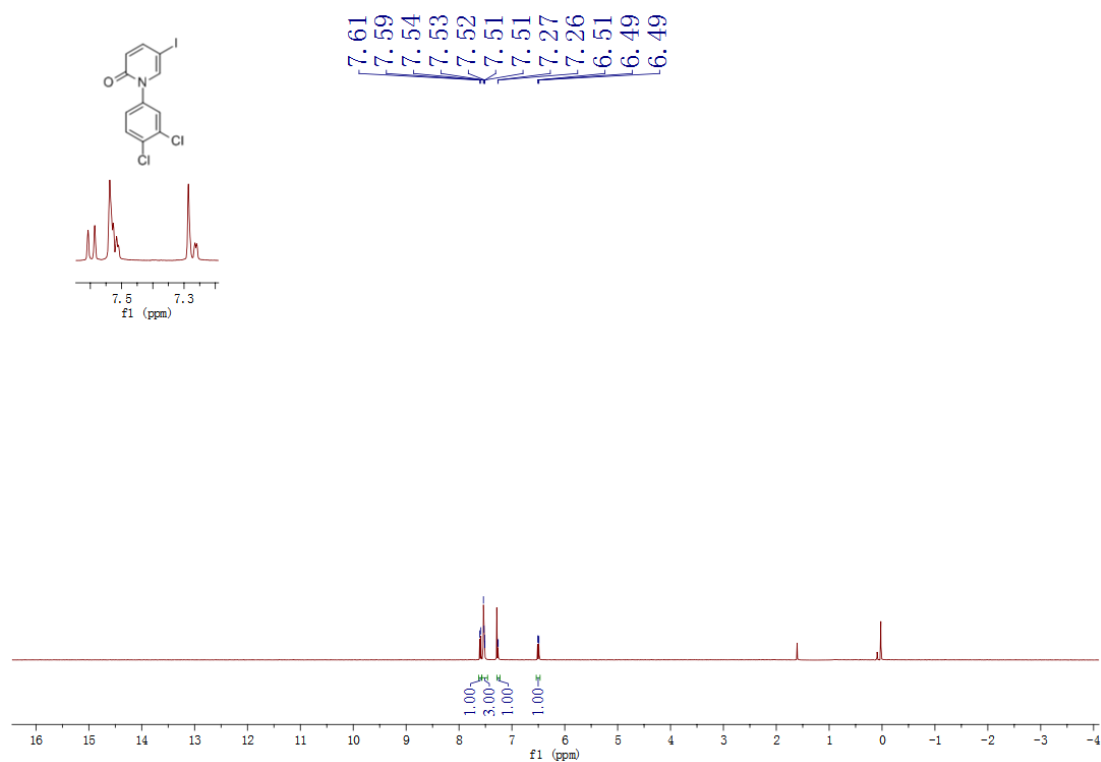
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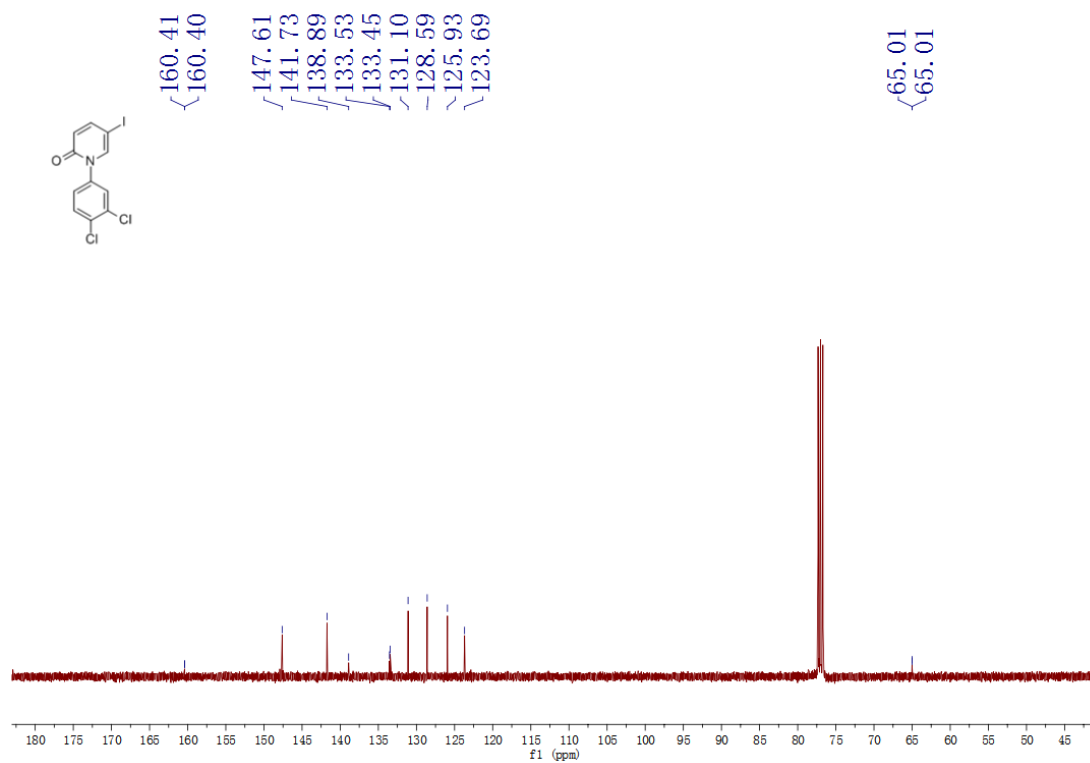
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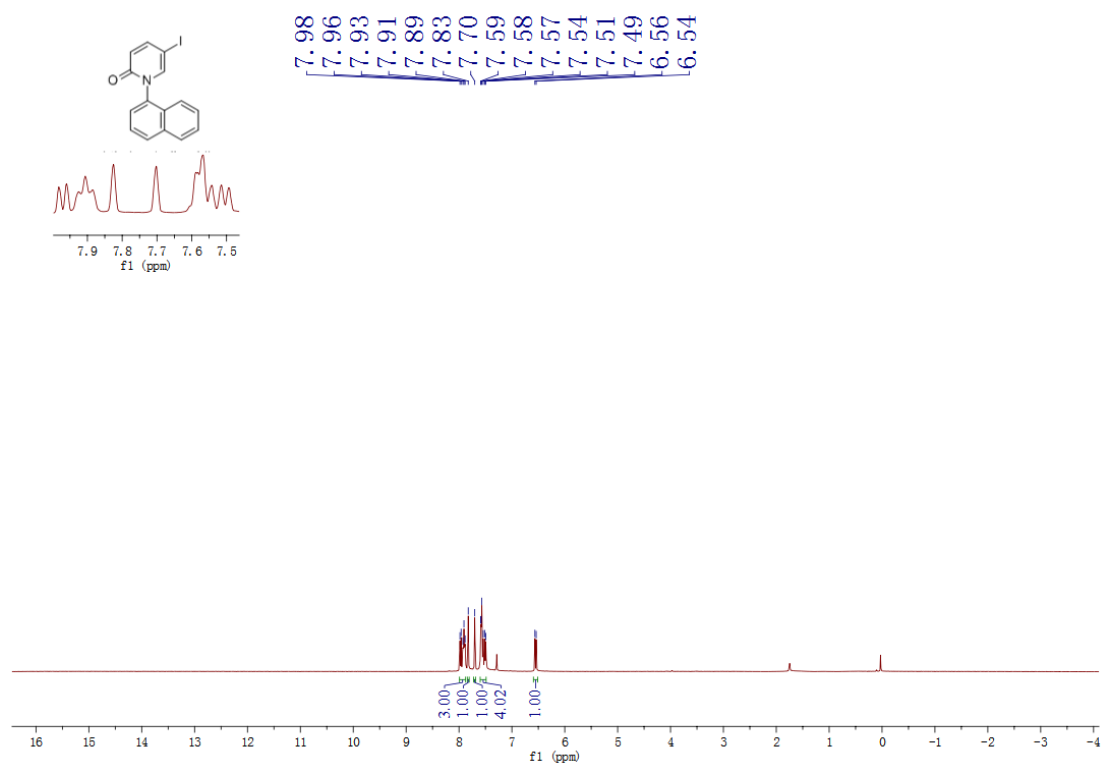
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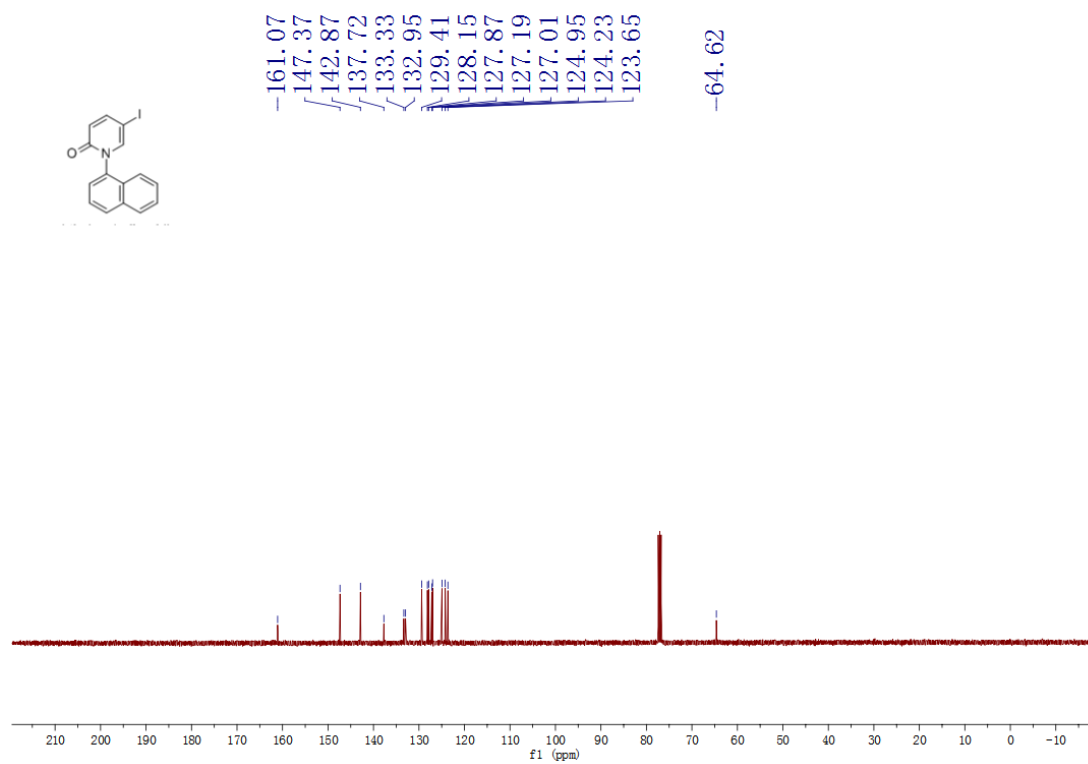
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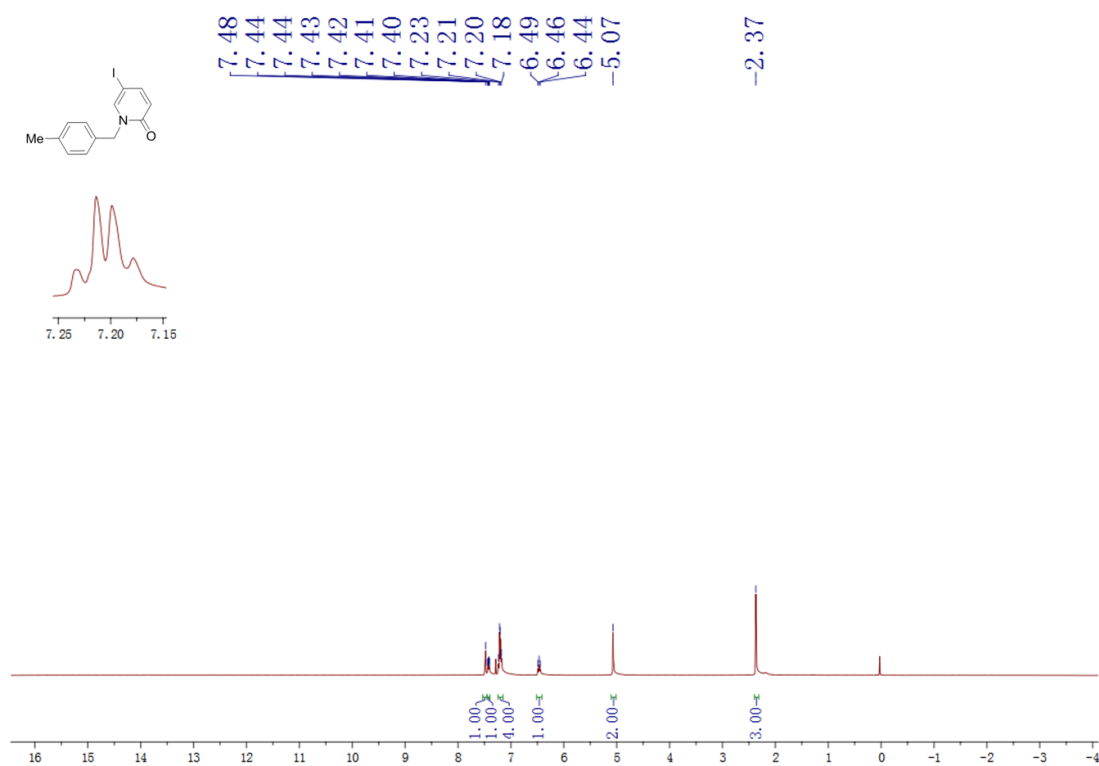
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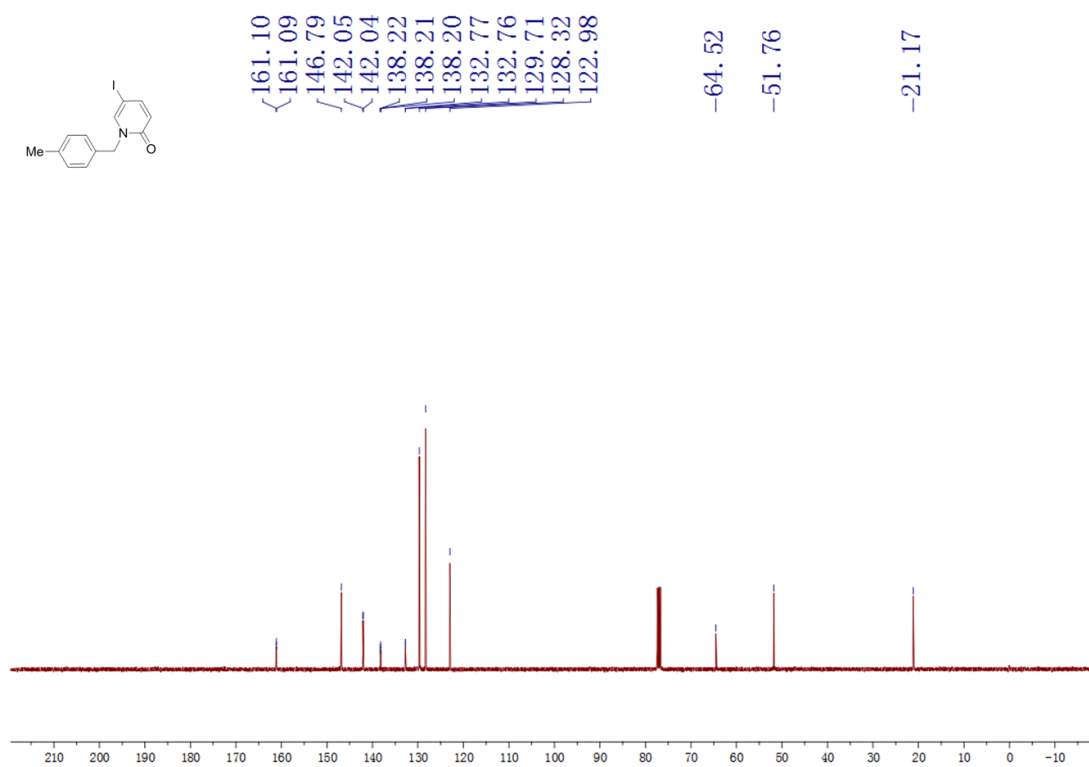
^{13}C NMR spectrum of **2w** in CDCl_3



^1H NMR spectrum of **2ae** in CDCl_3

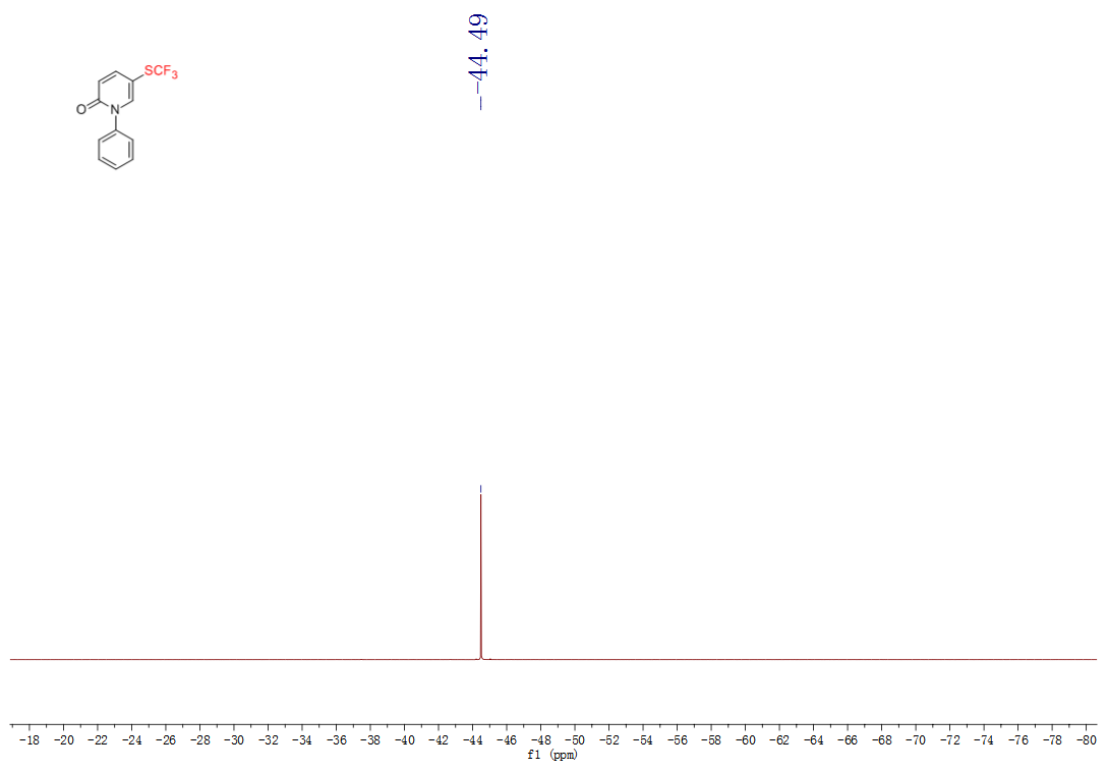


^{13}C NMR spectrum of **2ae** in CDCl_3

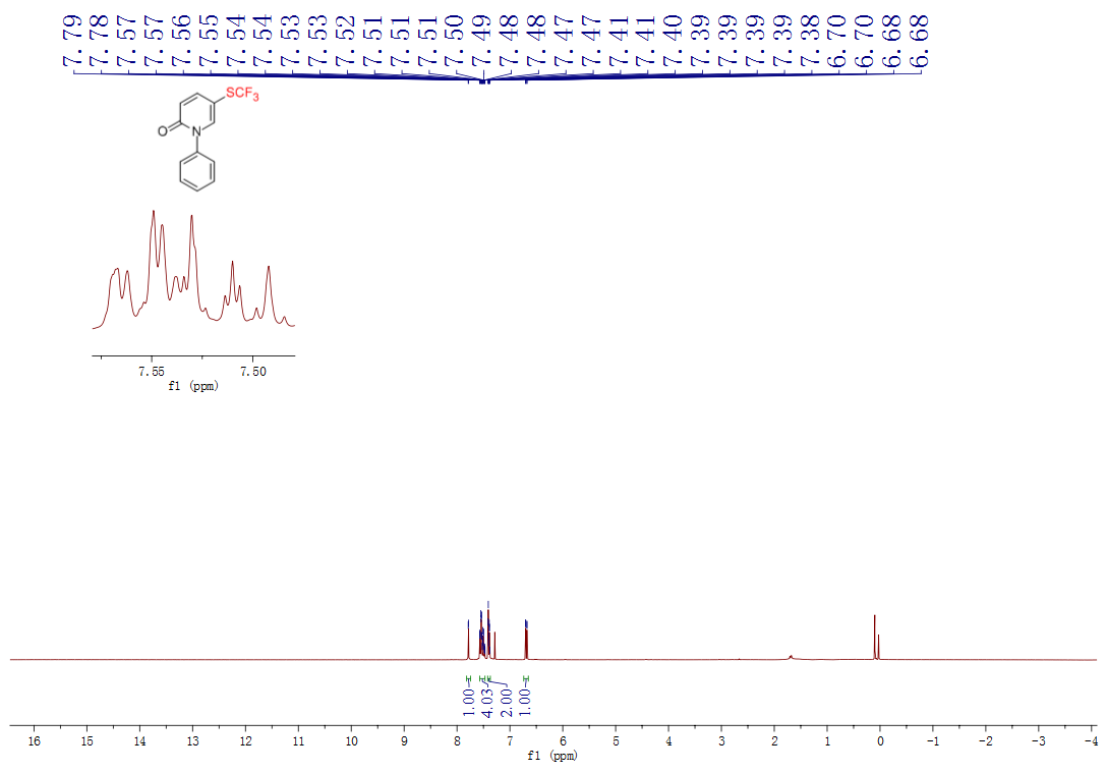


Copies of ^1H NMR, ^{19}F NMR and ^{13}C NMR Spectra for Compounds 3

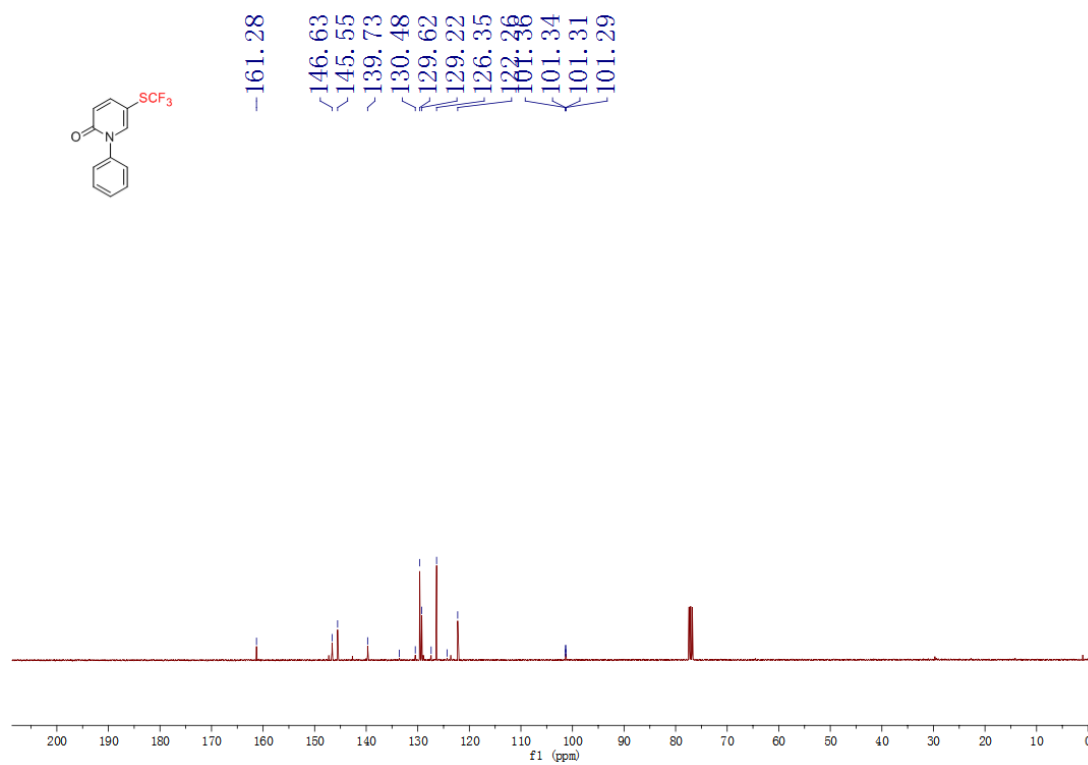
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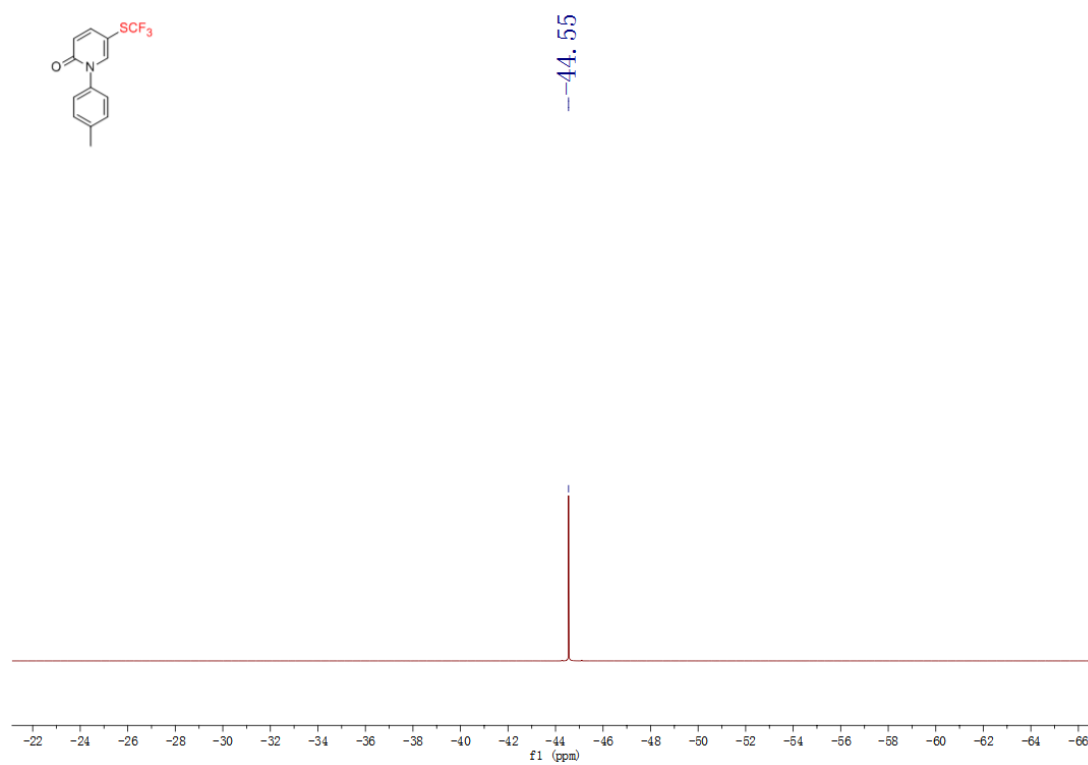
^1H NMR spectrum of **3a** in CDCl_3



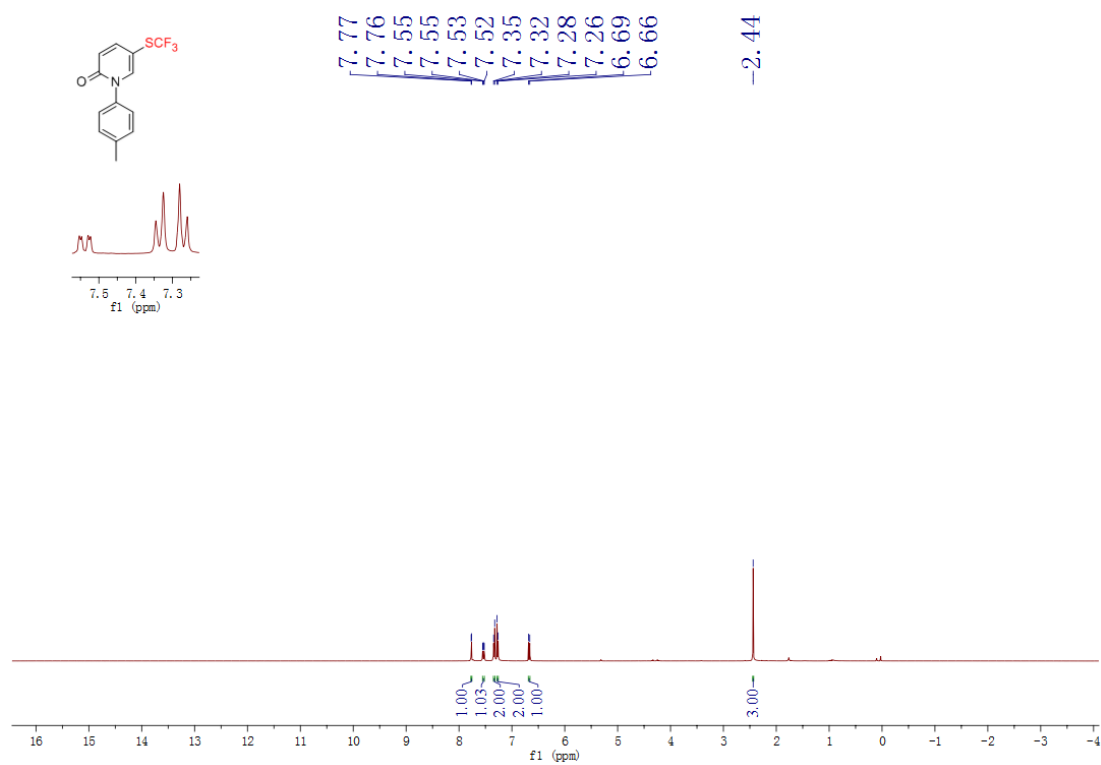
^{13}C NMR spectrum of **3a** in CDCl_3



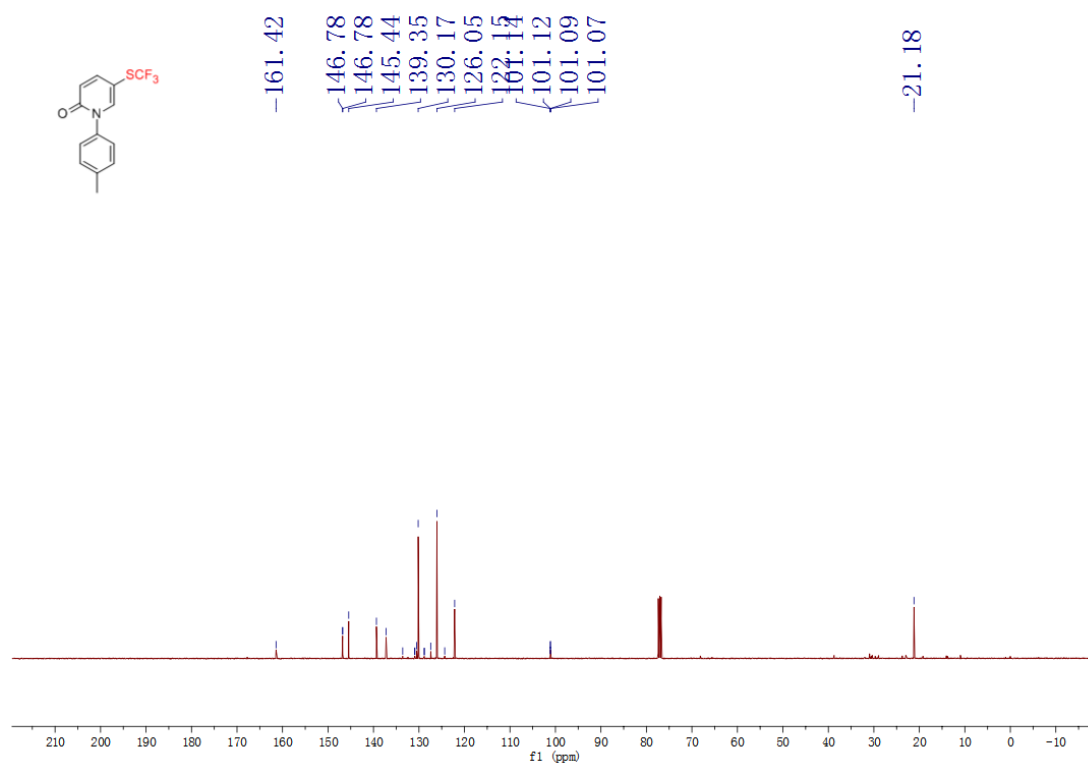
^{19}F NMR spectrum of **3b** in CDCl_3



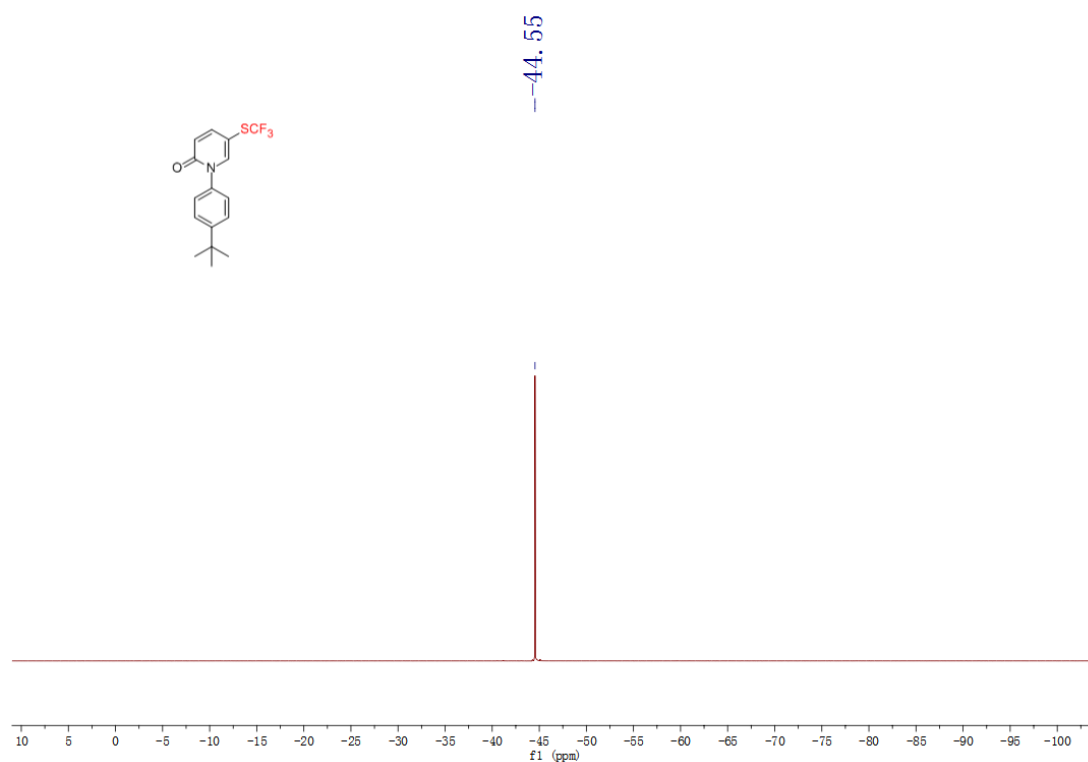
^1H NMR spectrum of **3b** in CDCl_3



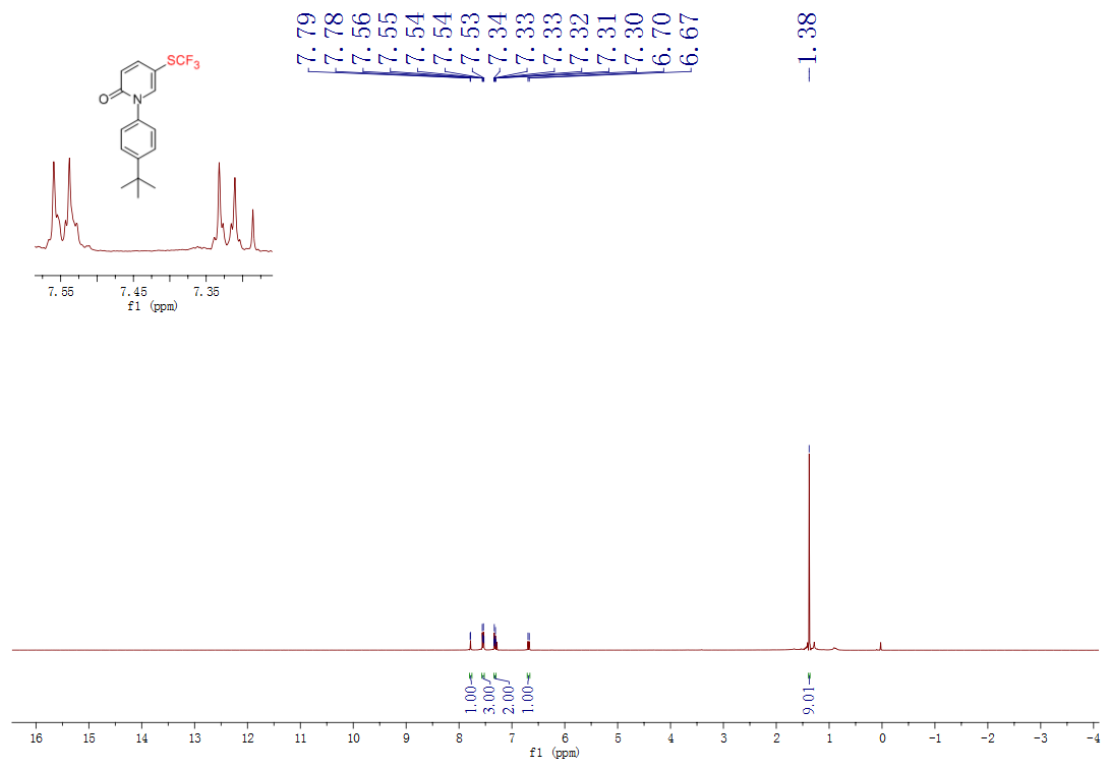
^{13}C NMR spectrum of **3b** in CDCl_3



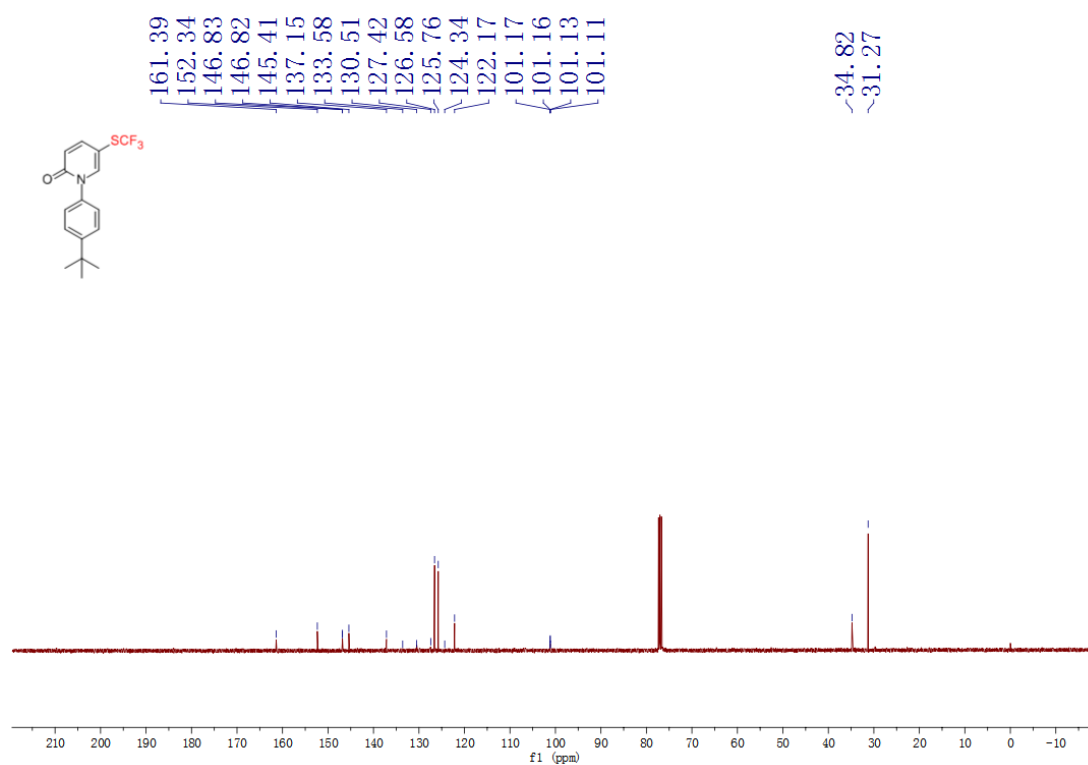
^{19}F NMR spectrum of **3c** in CDCl_3



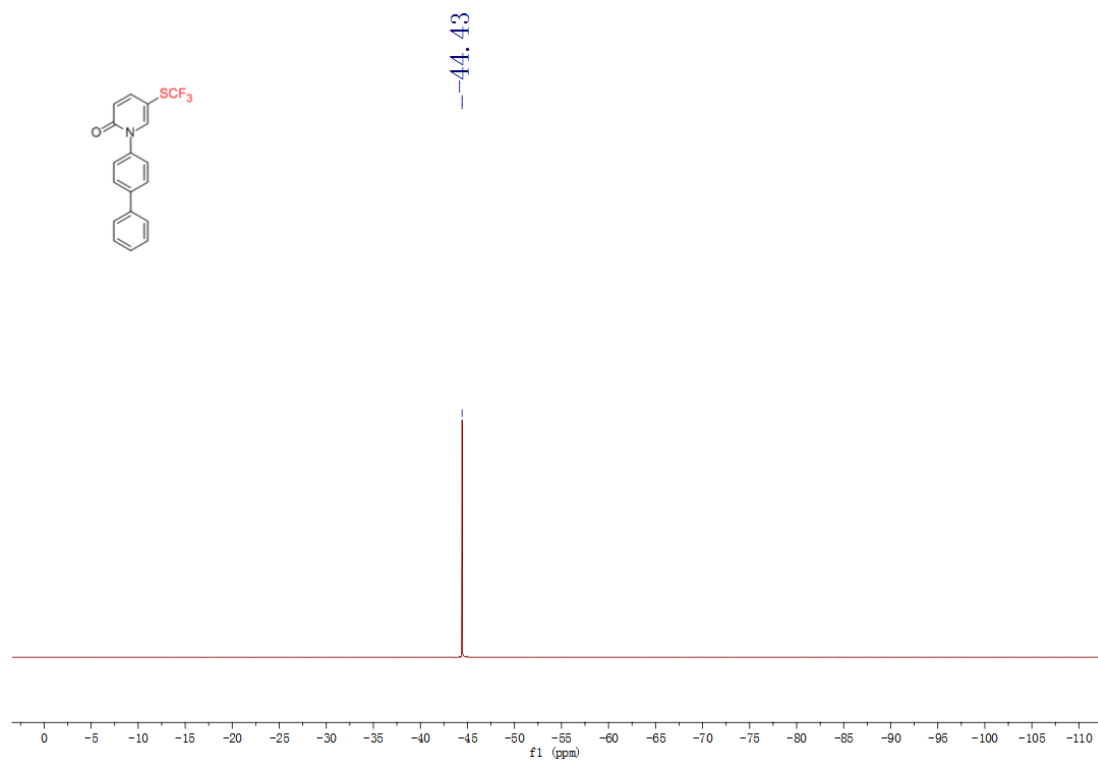
^1H NMR spectrum of **3c** in CDCl_3



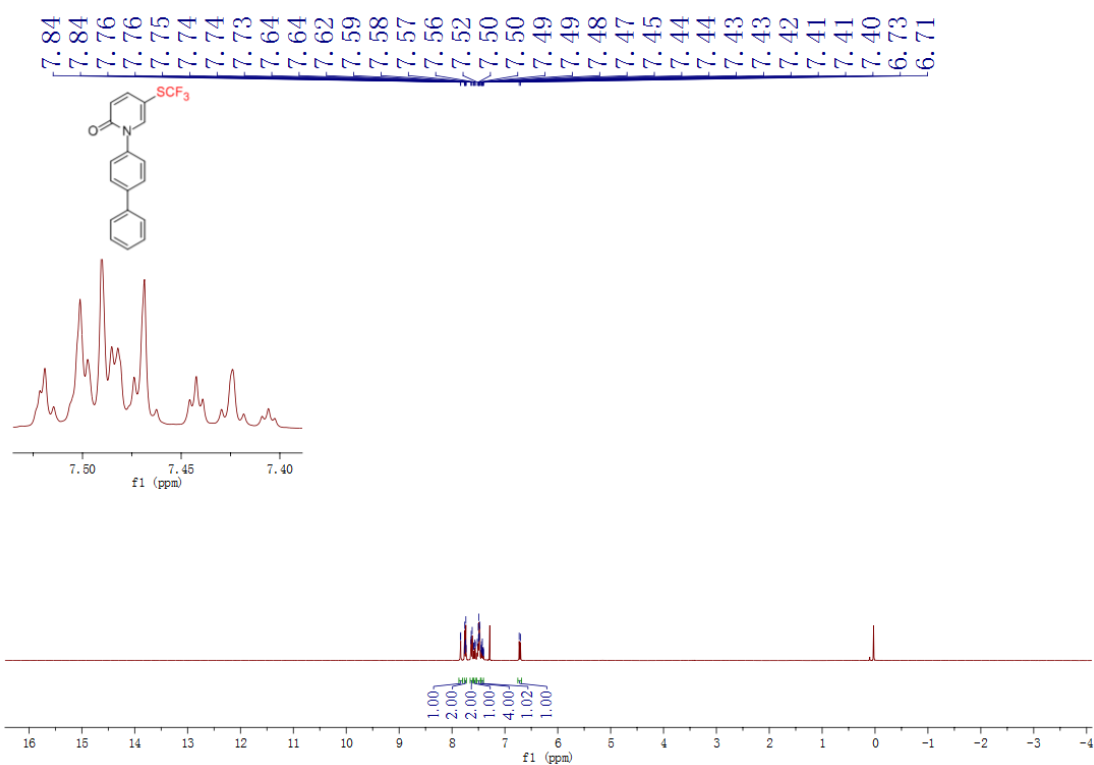
^{13}C NMR spectrum of **3c** in CDCl_3



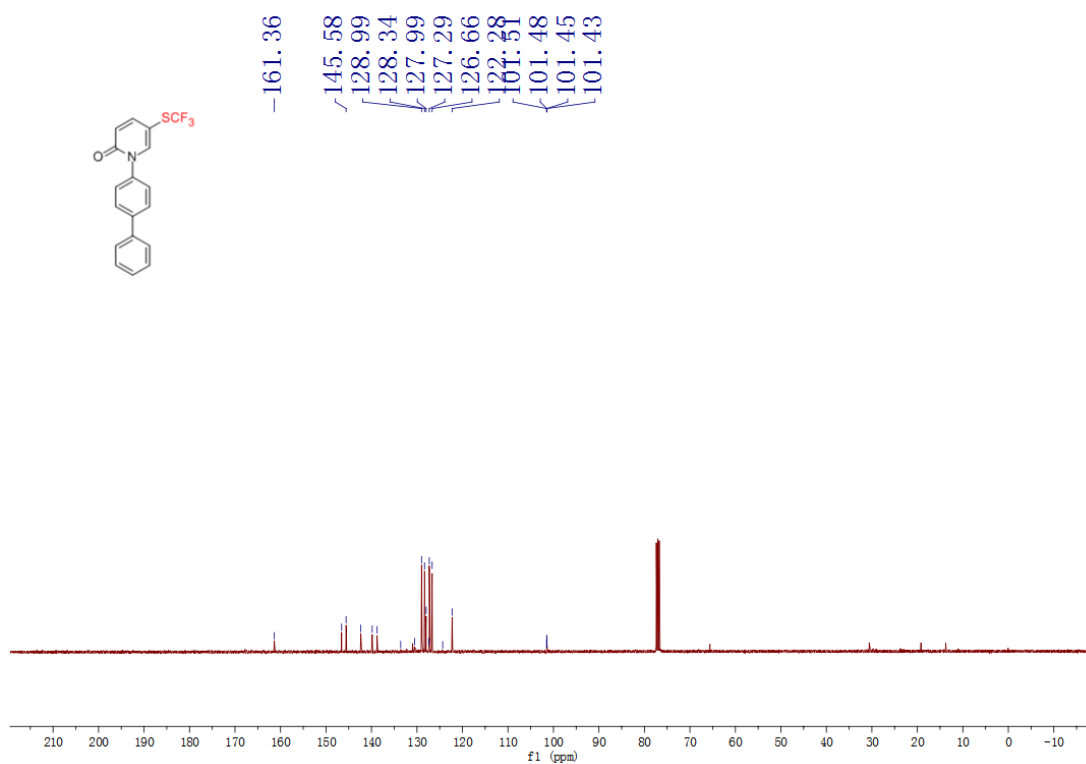
^{19}F NMR spectrum of **3d** in CDCl_3



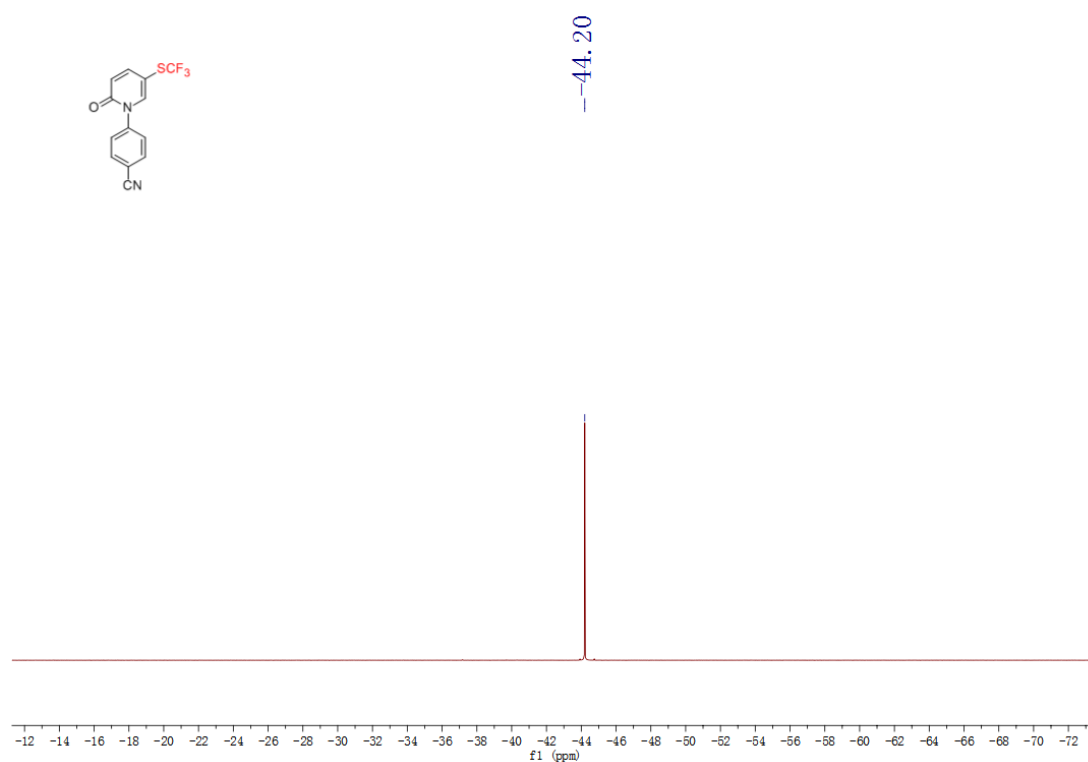
¹H NMR spectrum of **3d** in CDCl₃



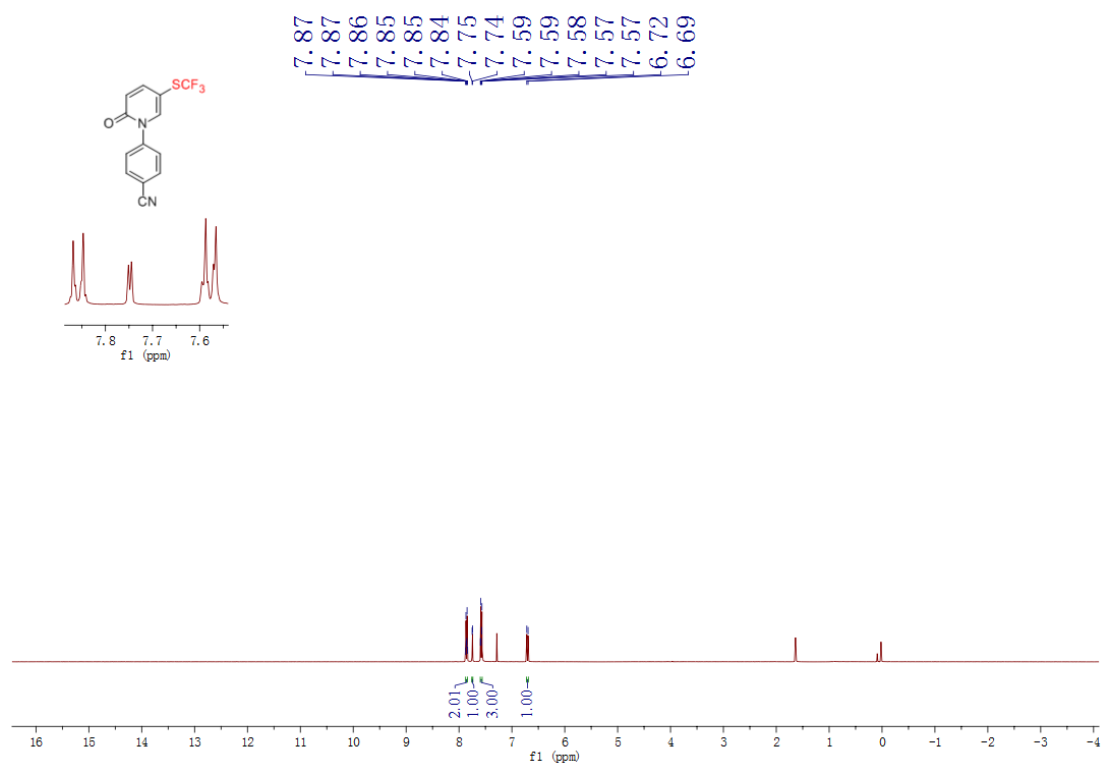
¹³C NMR spectrum of **3d** in CDCl₃



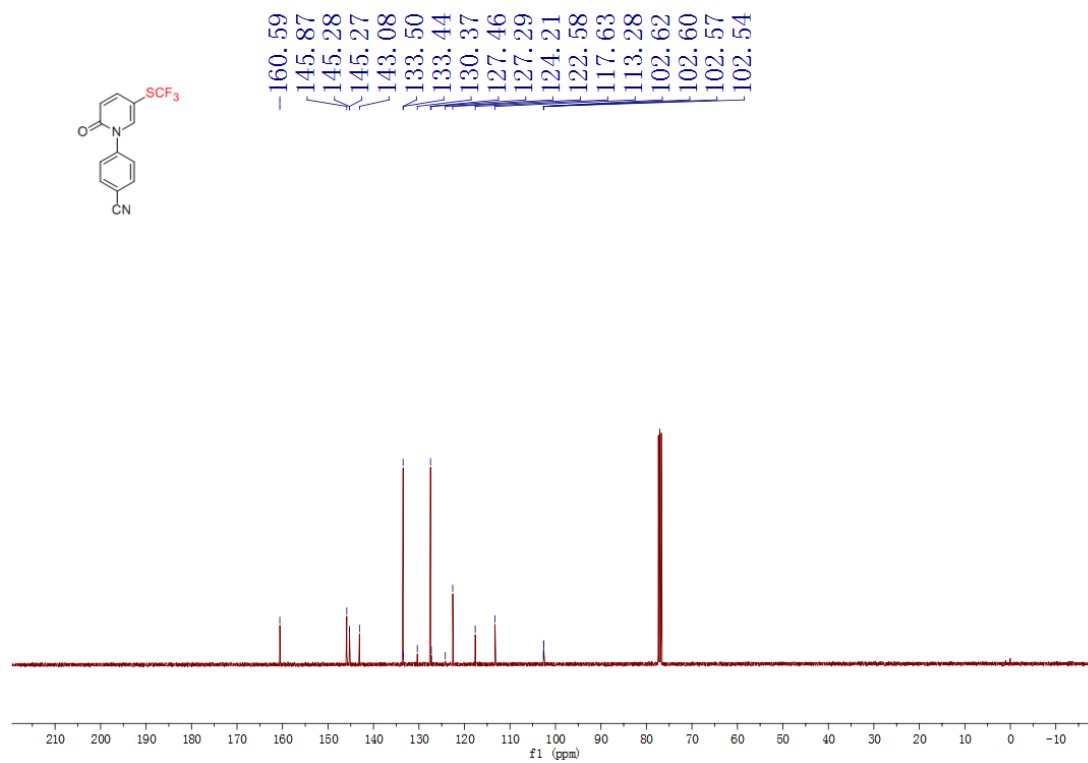
^{19}F NMR spectrum of **3e** in CDCl_3



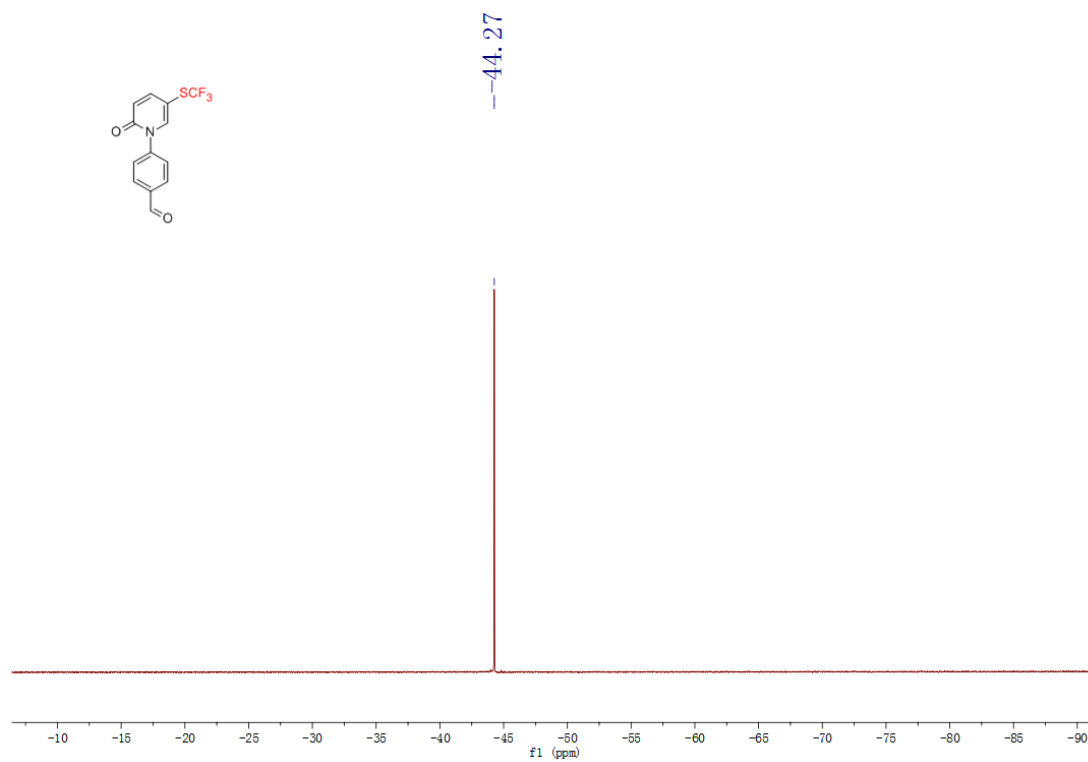
^1H NMR spectrum of **3e** in CDCl_3



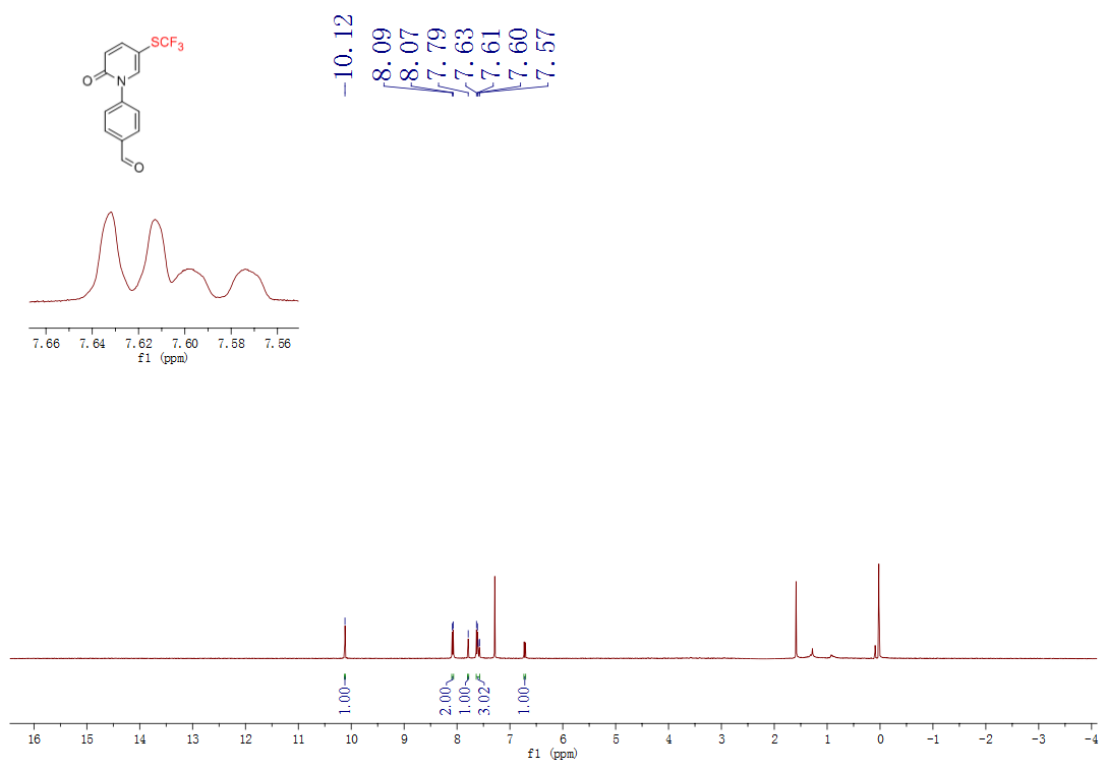
^{13}C NMR spectrum of **3e** in CDCl_3



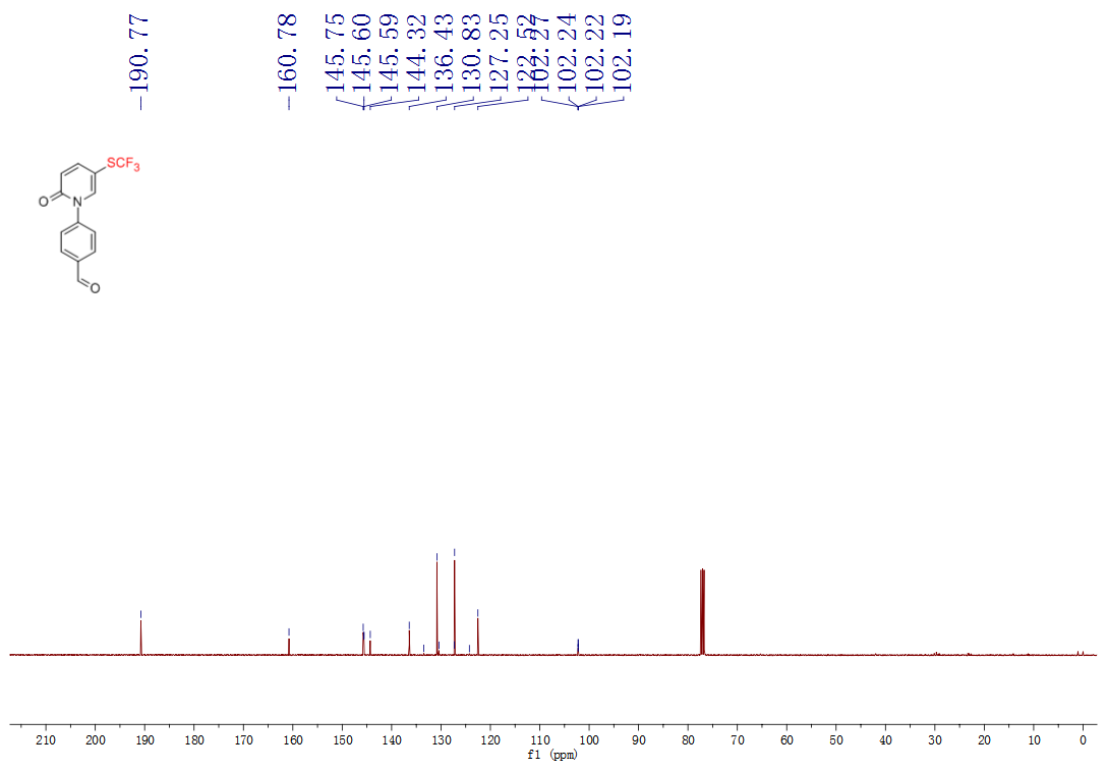
^{19}F NMR spectrum of **3f** in CDCl_3



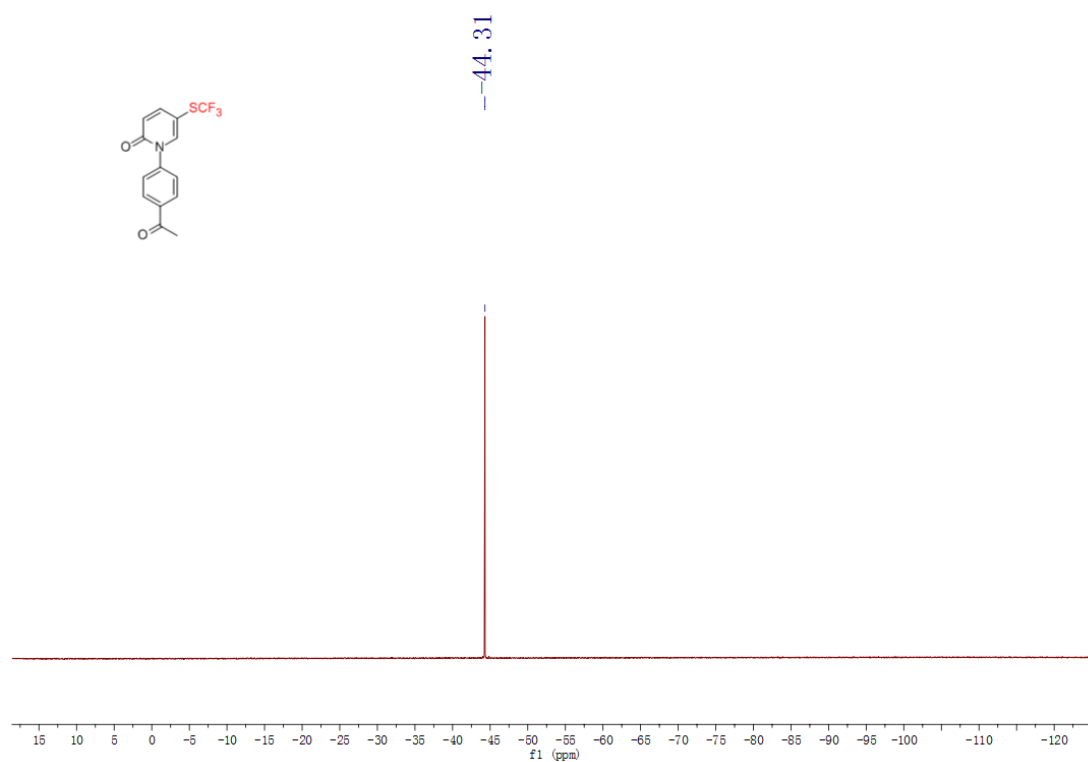
^1H NMR spectrum of **3f** in CDCl_3



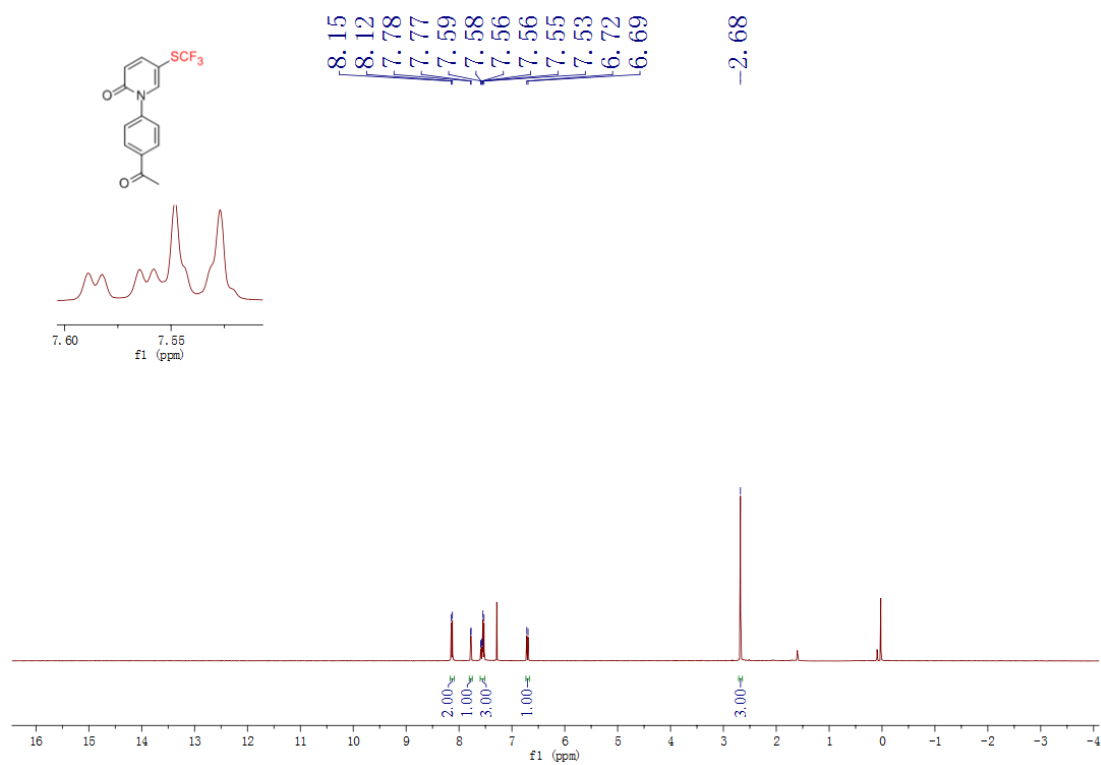
^{13}C NMR spectrum of **3f** in CDCl_3



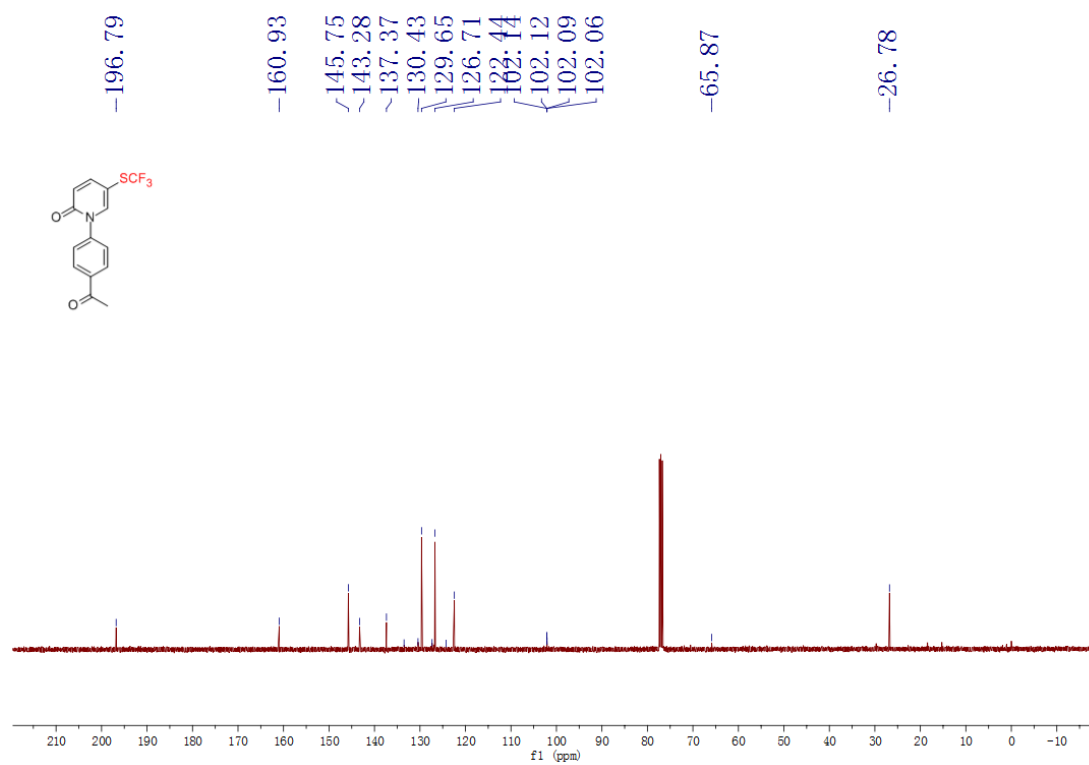
^{19}F NMR spectrum of **3g** in CDCl_3



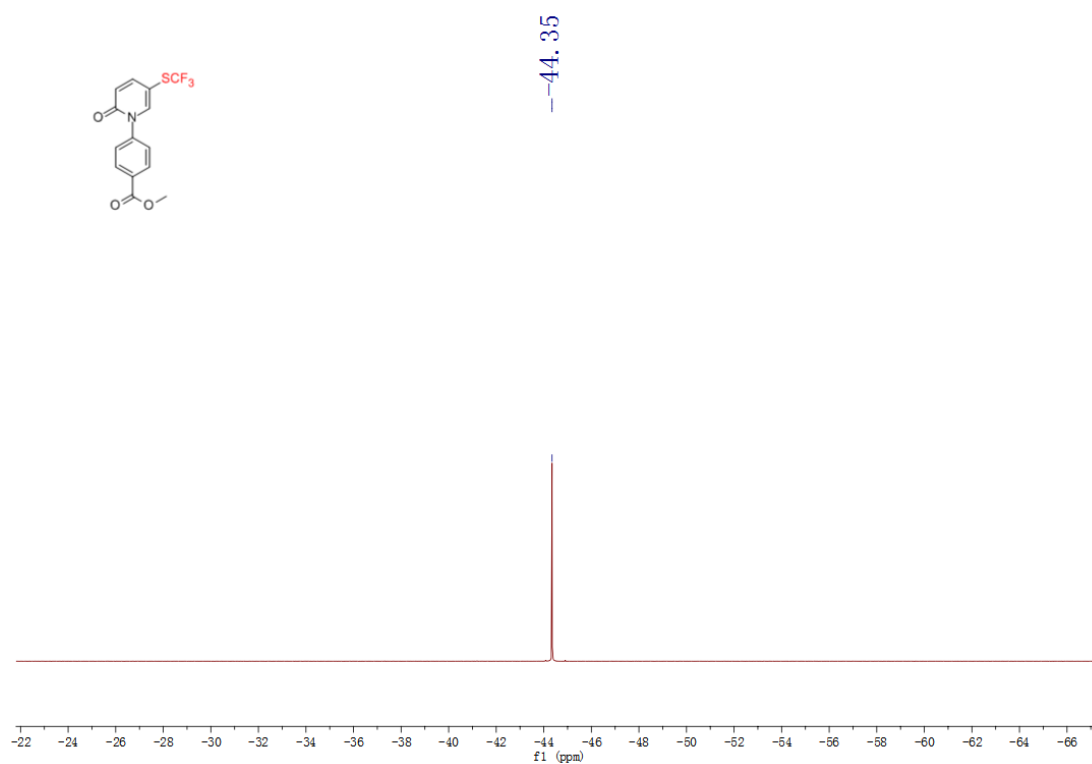
^1H NMR spectrum of **3g** in CDCl_3



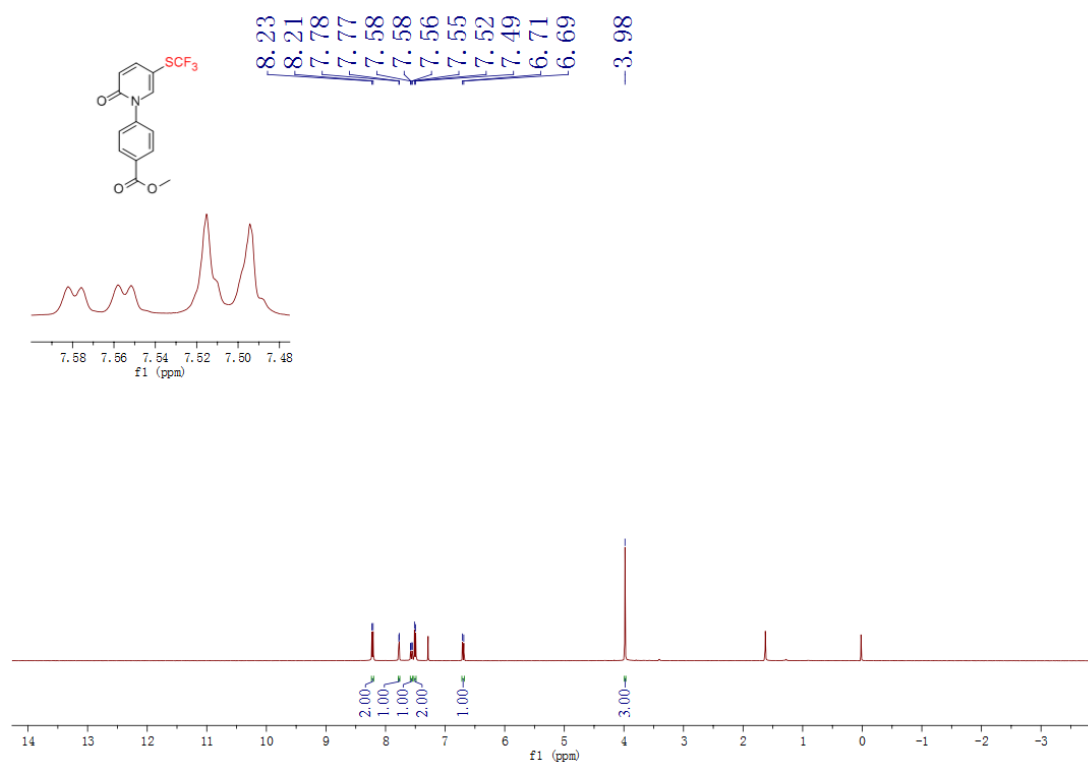
^{13}C NMR spectrum of **3g** in CDCl_3



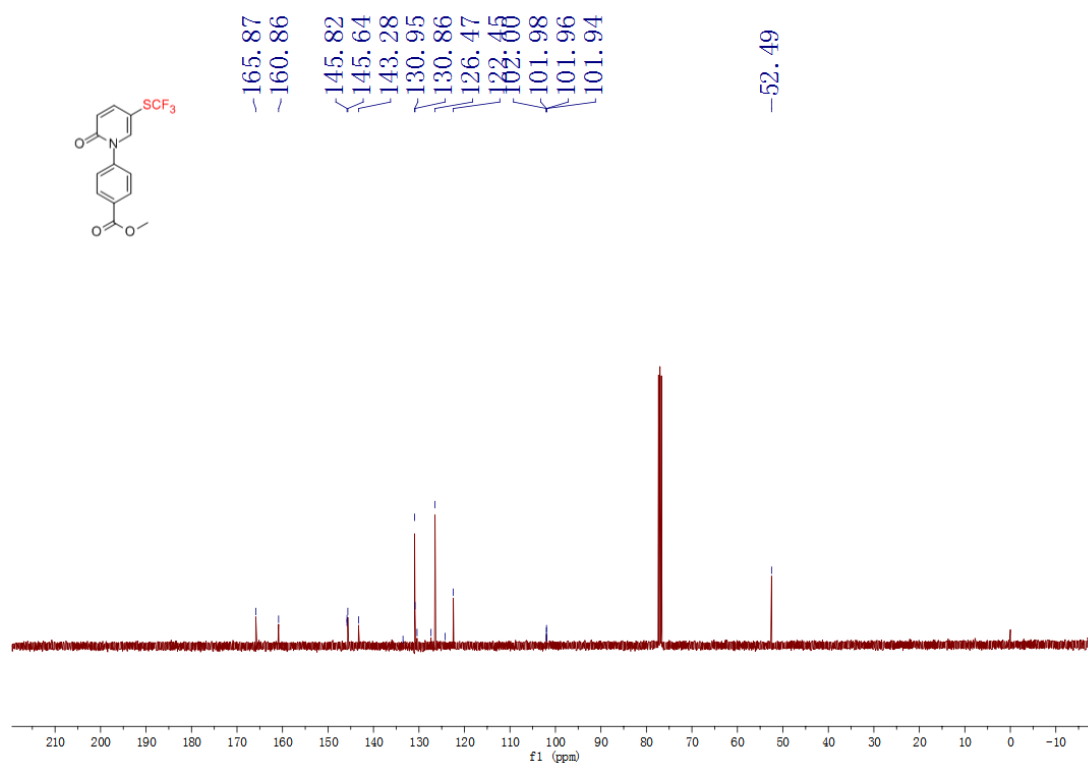
^{19}F NMR spectrum of **3h** in CDCl_3



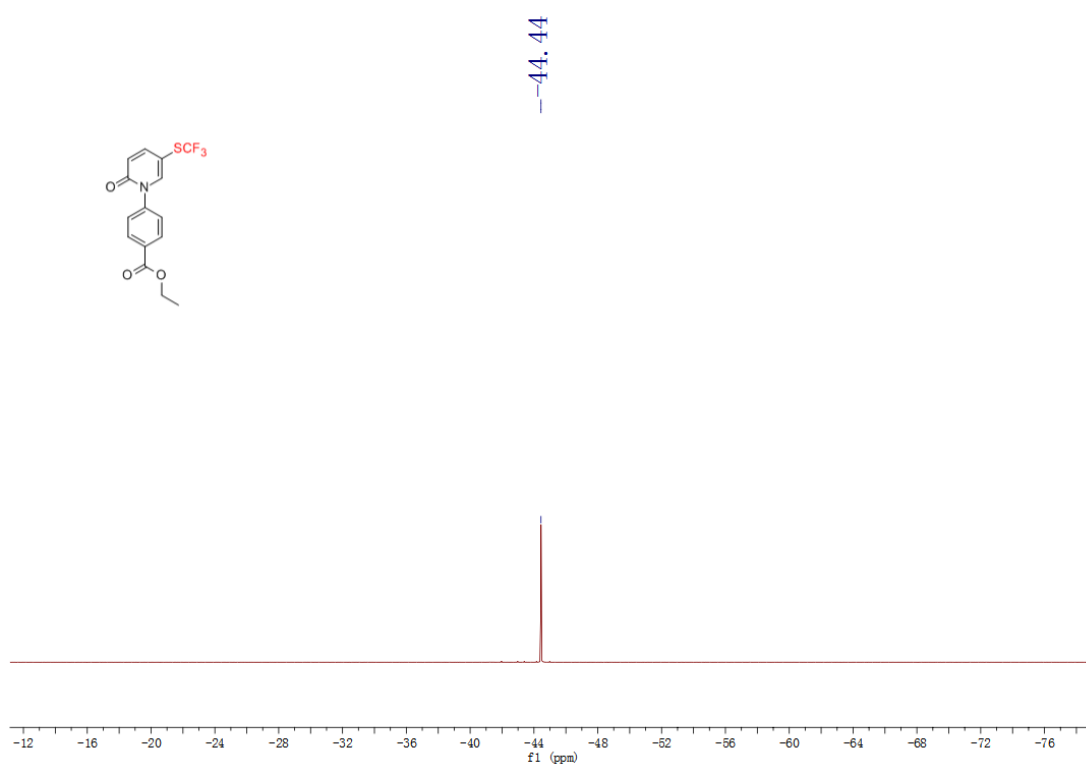
^1H NMR spectrum of **3h** in CDCl_3



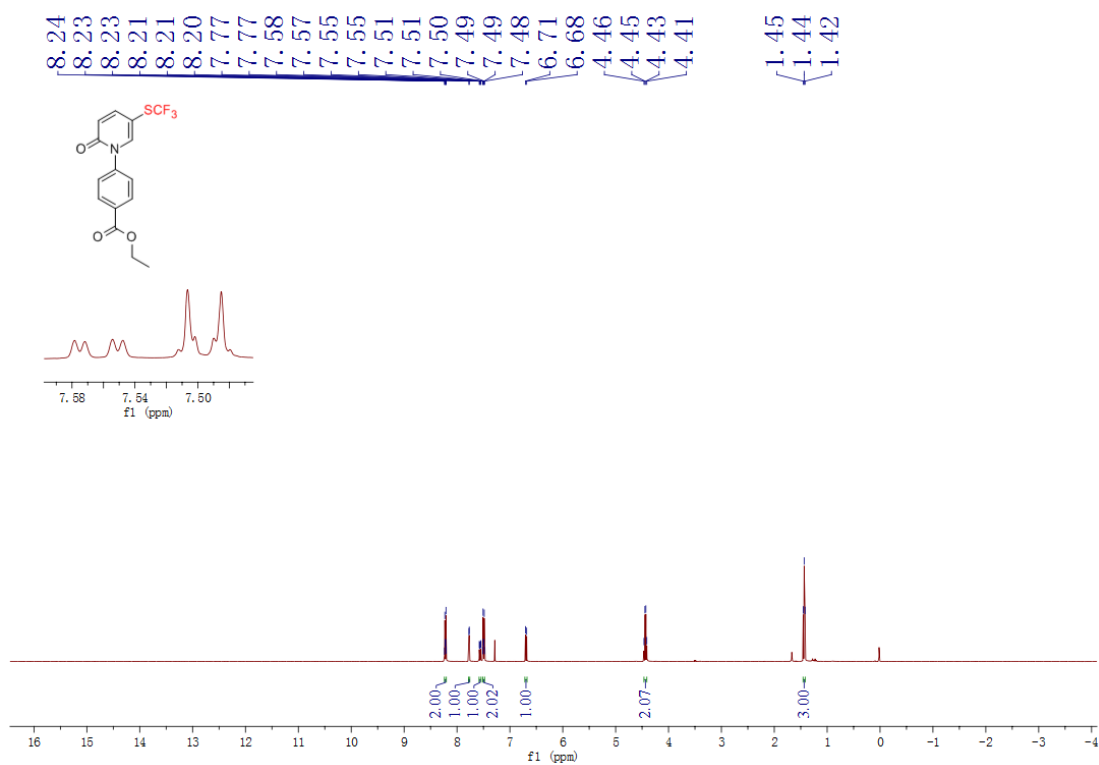
^{13}C NMR spectrum of **3h** in CDCl_3



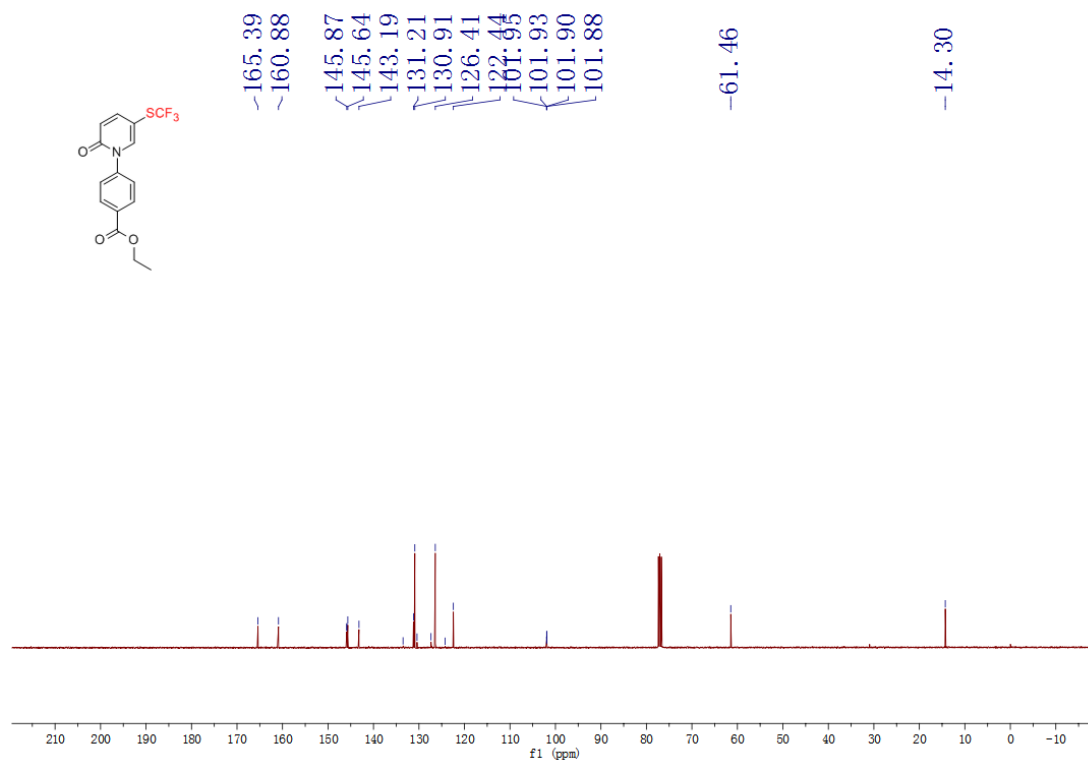
^{19}F NMR spectrum of **3i** in CDCl_3



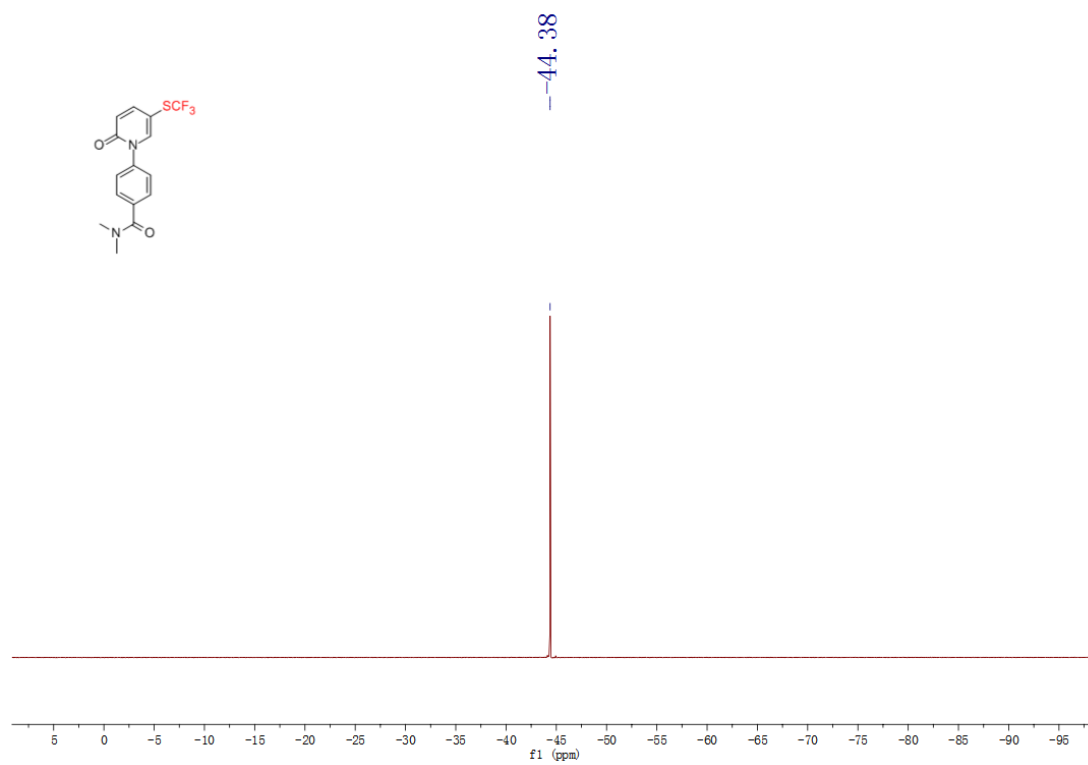
^1H NMR spectrum of **3i** in CDCl_3



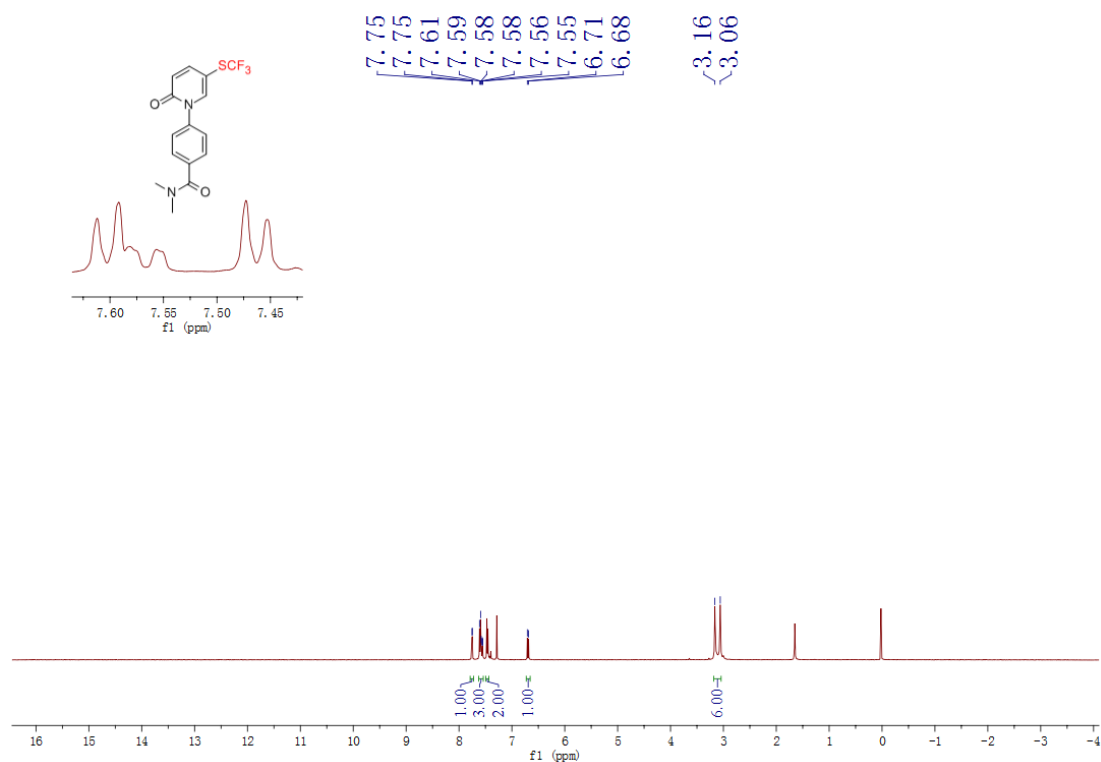
^{13}C NMR spectrum of **3i** in CDCl_3



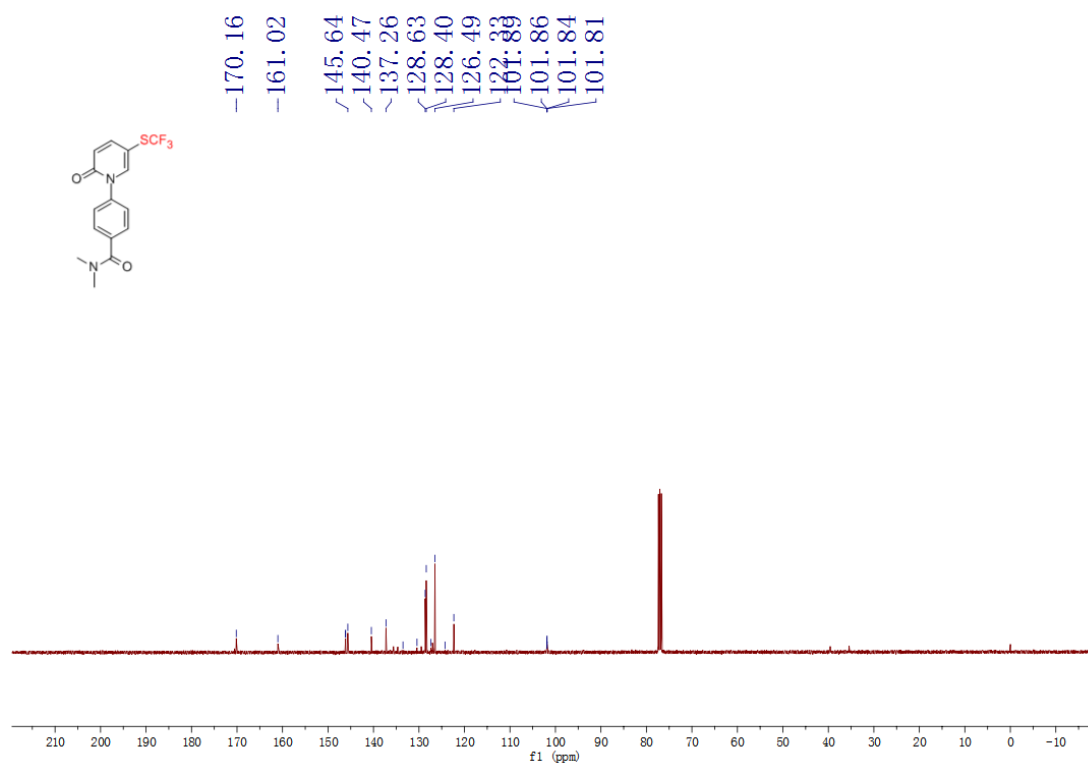
^{19}F NMR spectrum of **3j** in CDCl_3



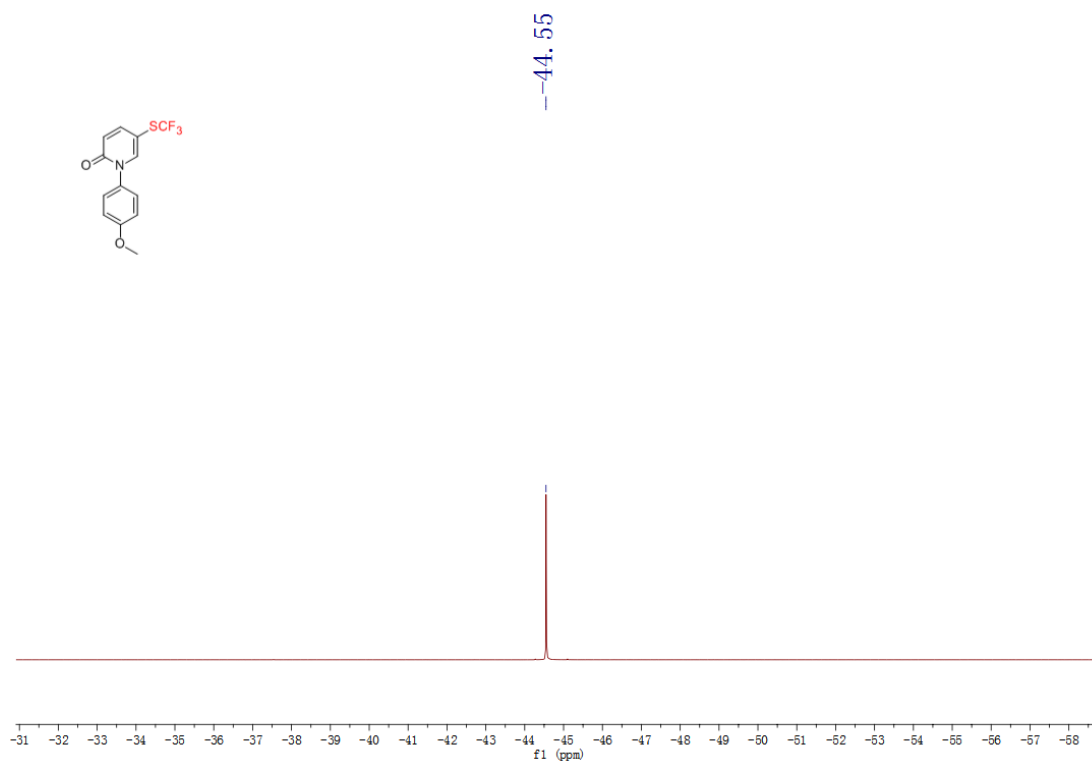
^1H NMR spectrum of **3j** in CDCl_3



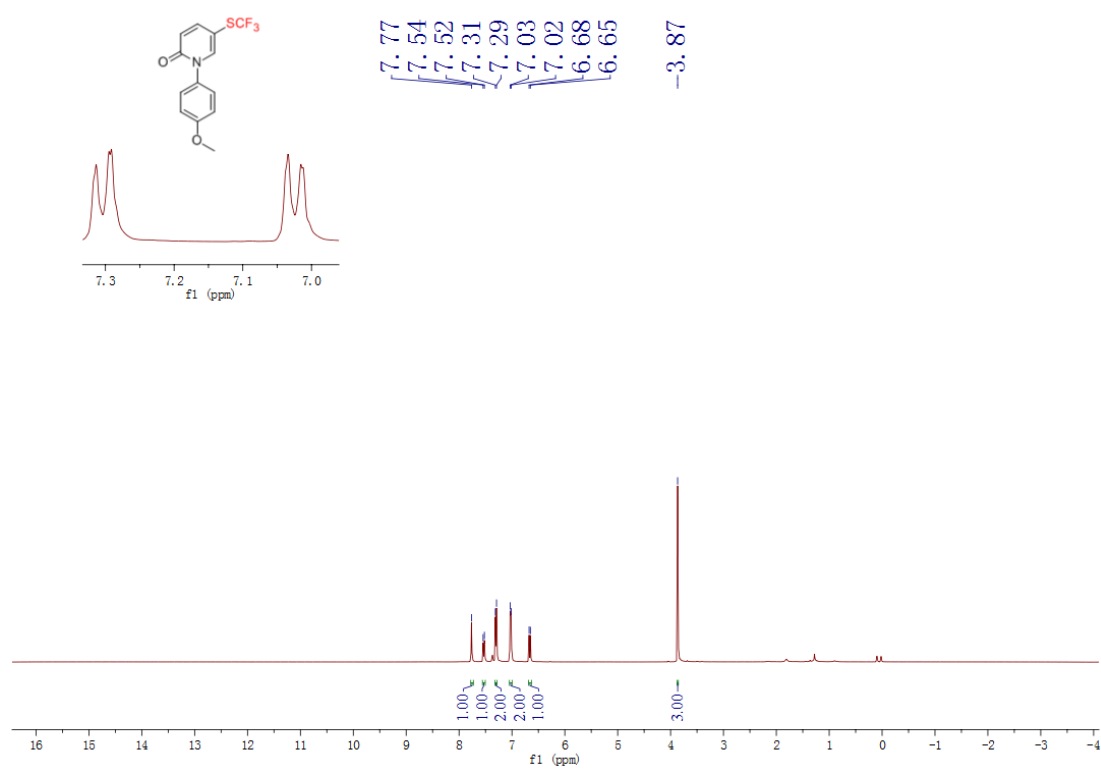
^{13}C NMR spectrum of **3j** in CDCl_3



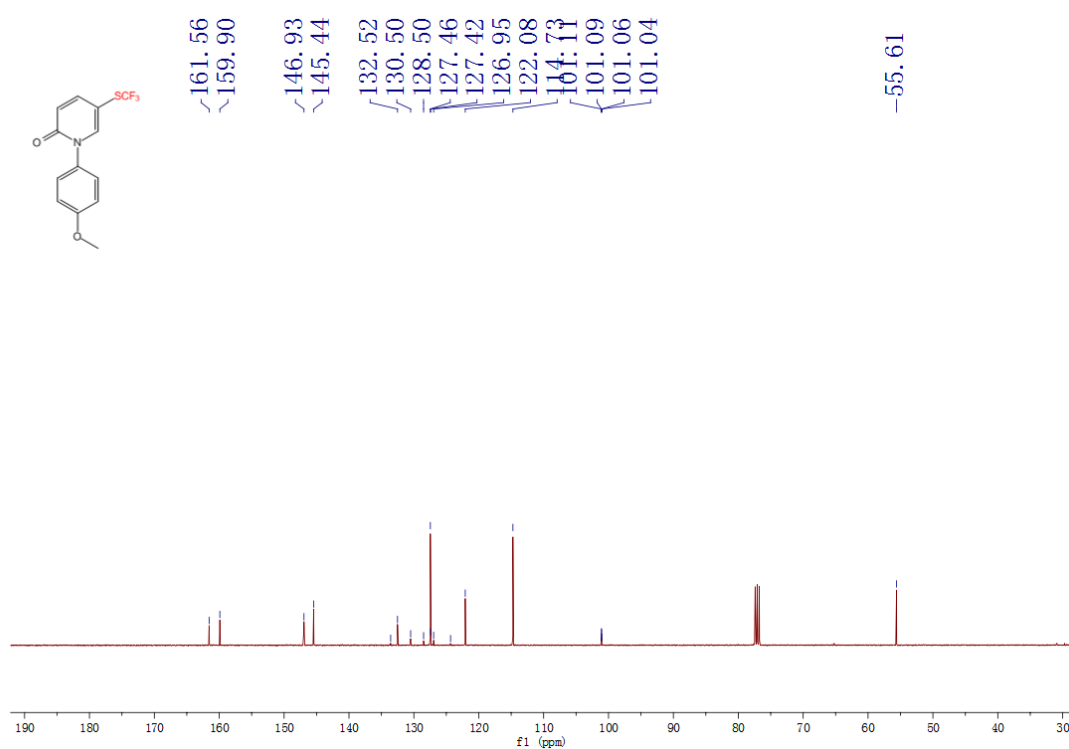
^{19}F NMR spectrum of **3k** in CDCl_3



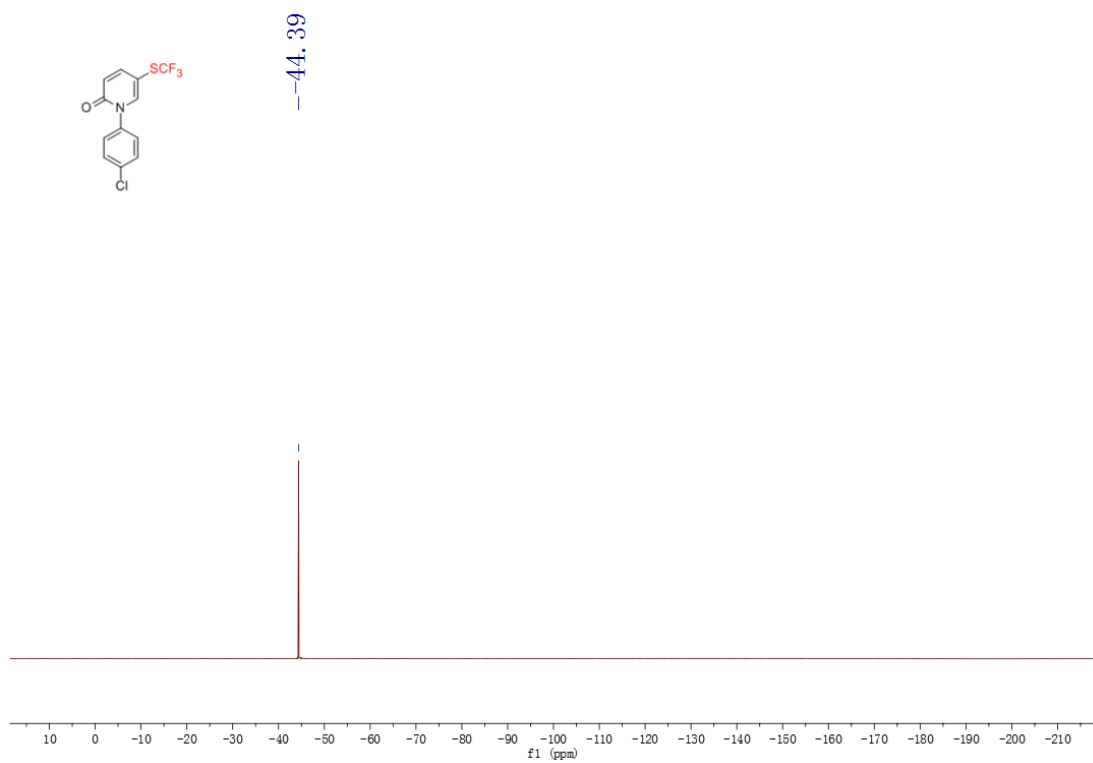
^1H NMR spectrum of **3k** in CDCl_3



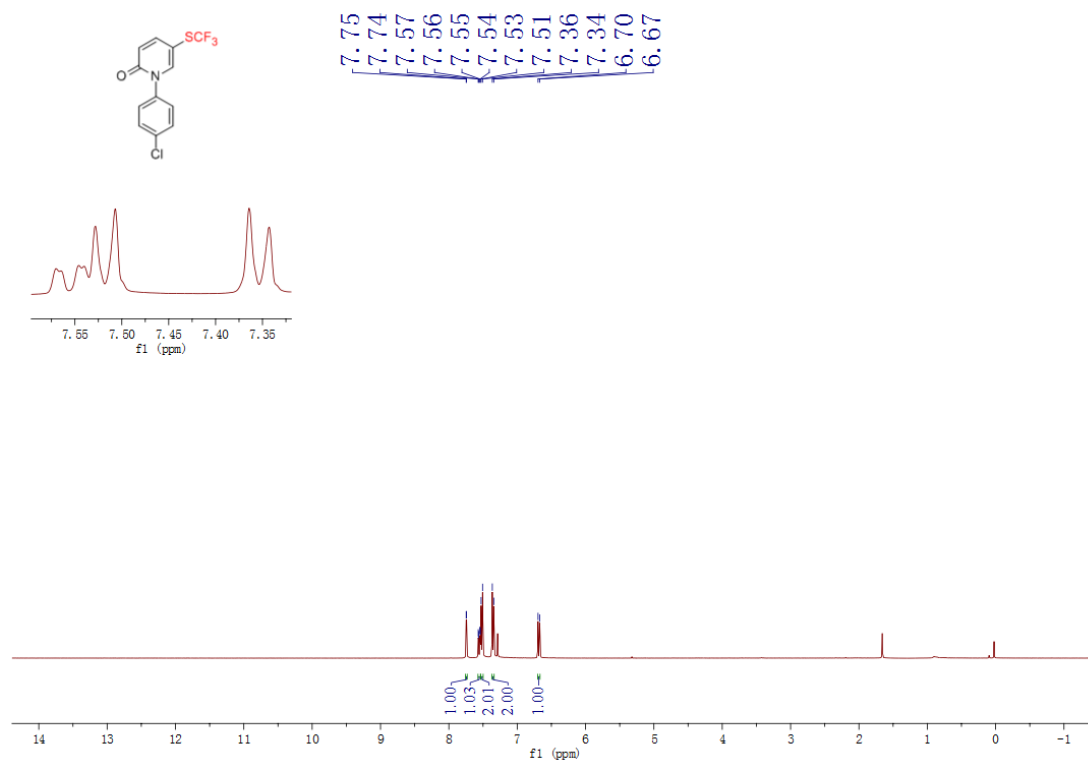
^{13}C NMR spectrum of **3k** in CDCl_3



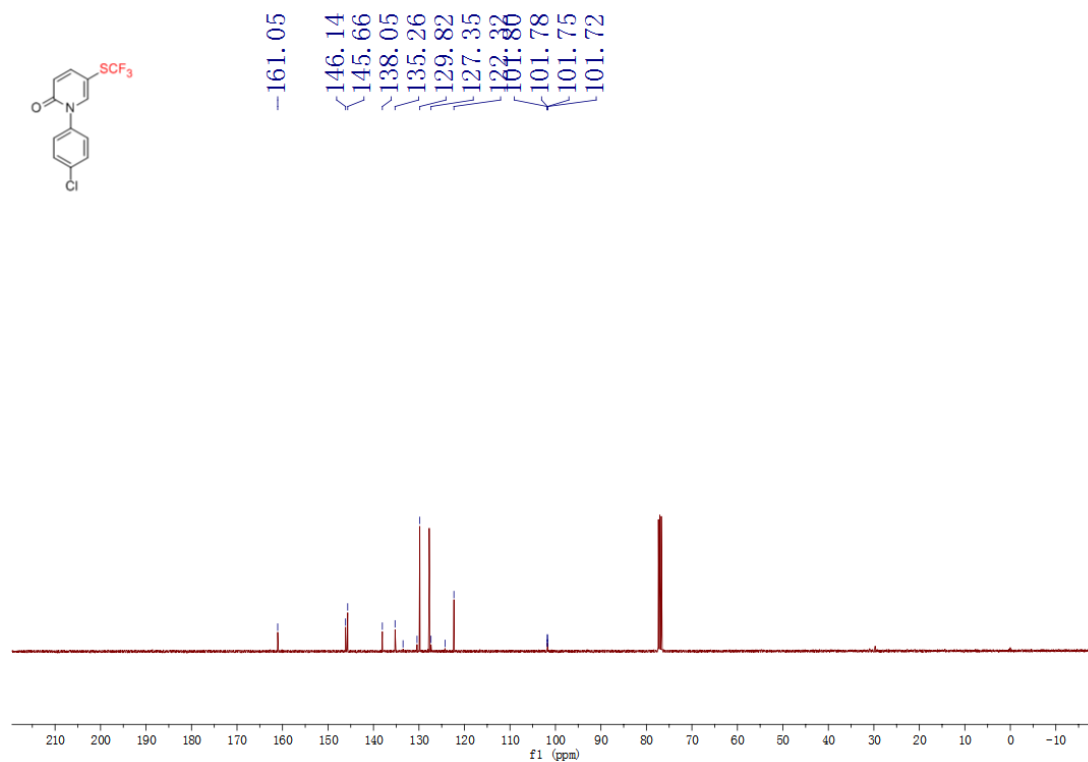
^{19}F NMR spectrum of **3l** in CDCl_3



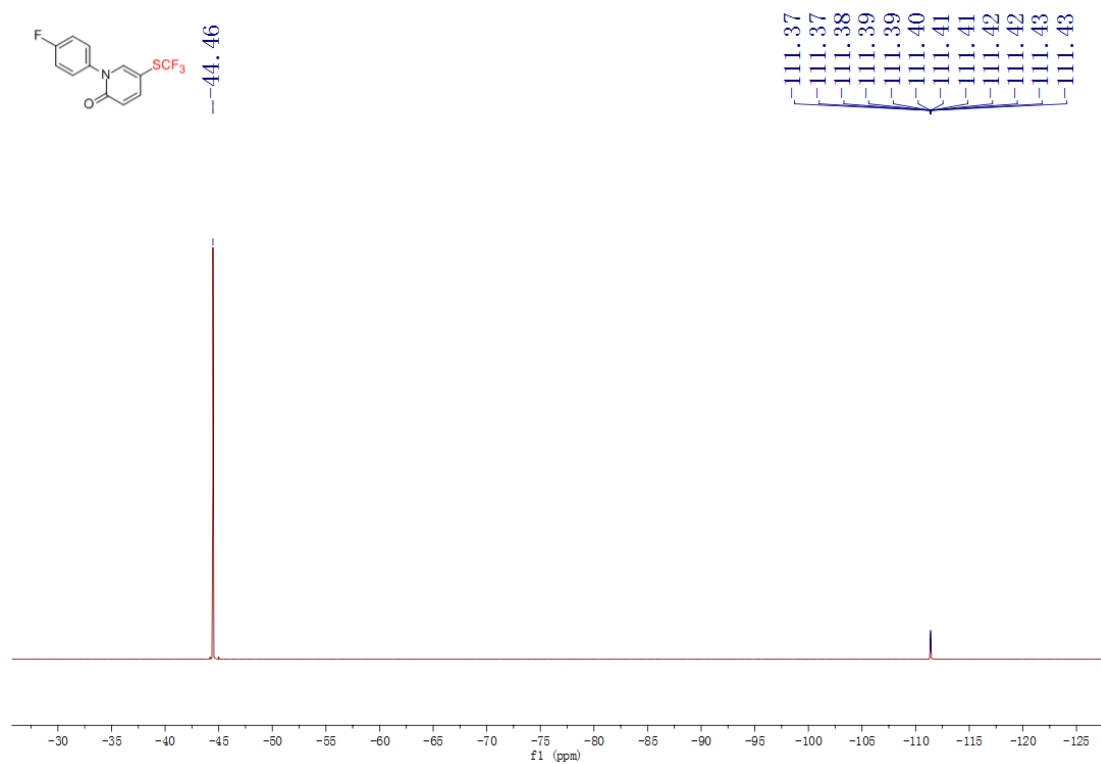
^1H NMR spectrum of **3l** in CDCl_3



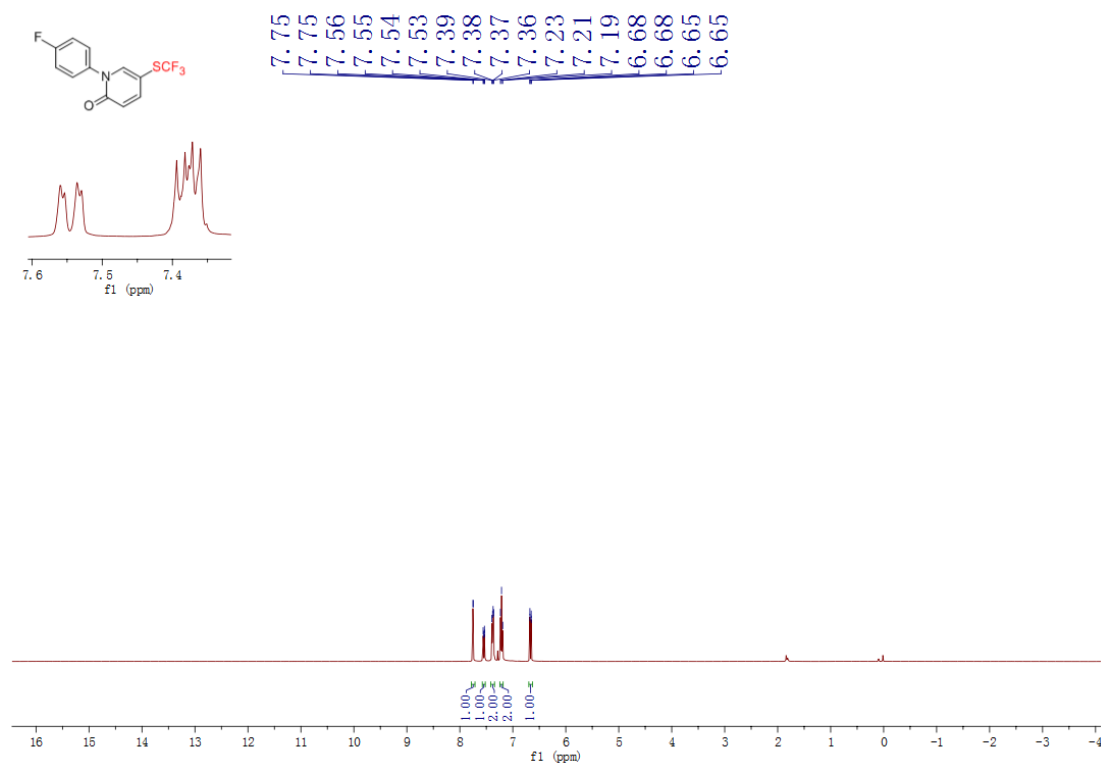
^{13}C NMR spectrum of **3l** in CDCl_3



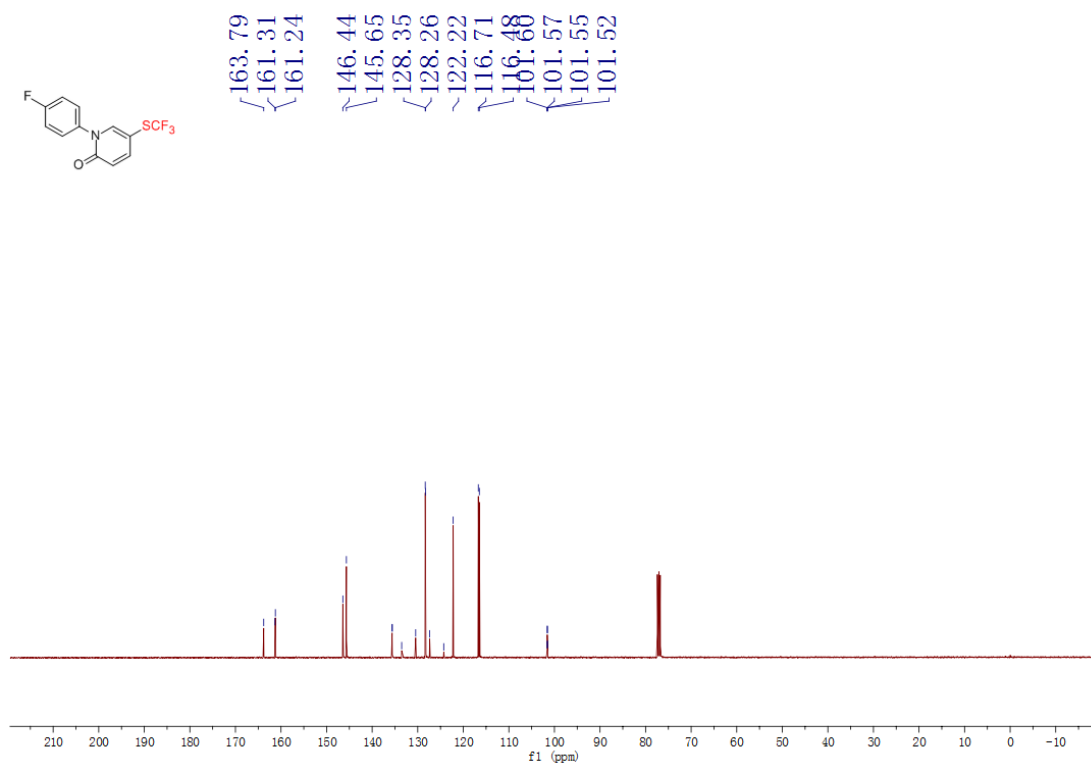
^{19}F NMR spectrum of **3m** in CDCl_3



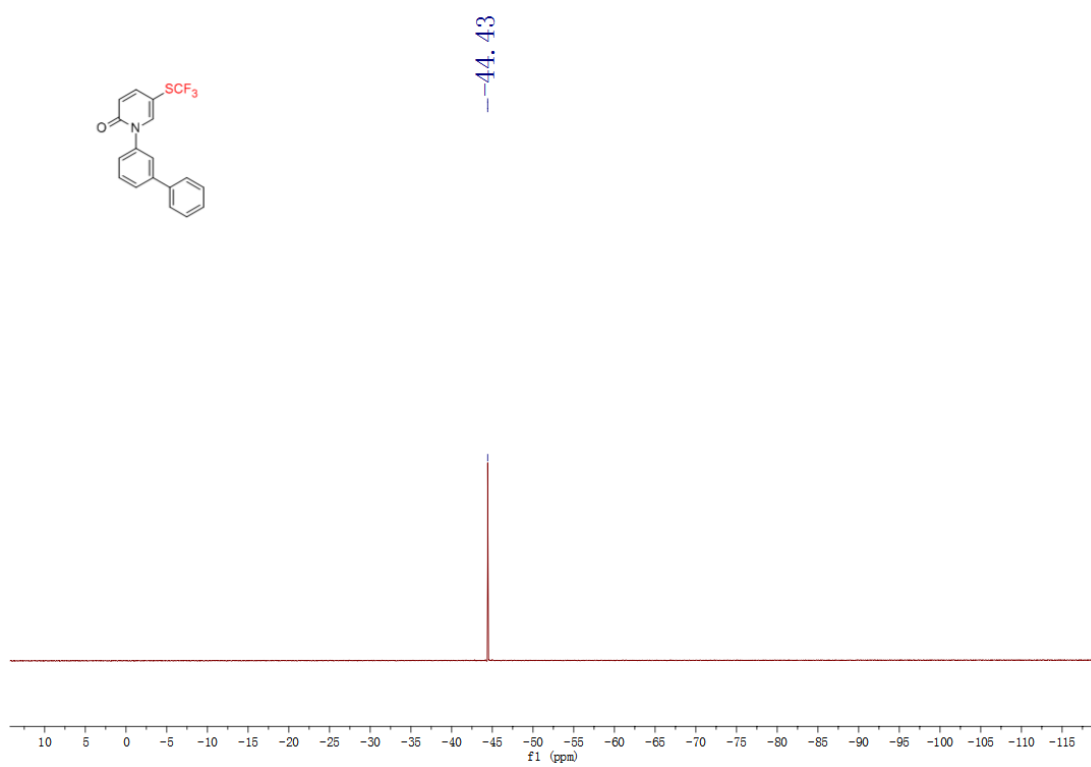
^1H NMR spectrum of **3m** in CDCl_3



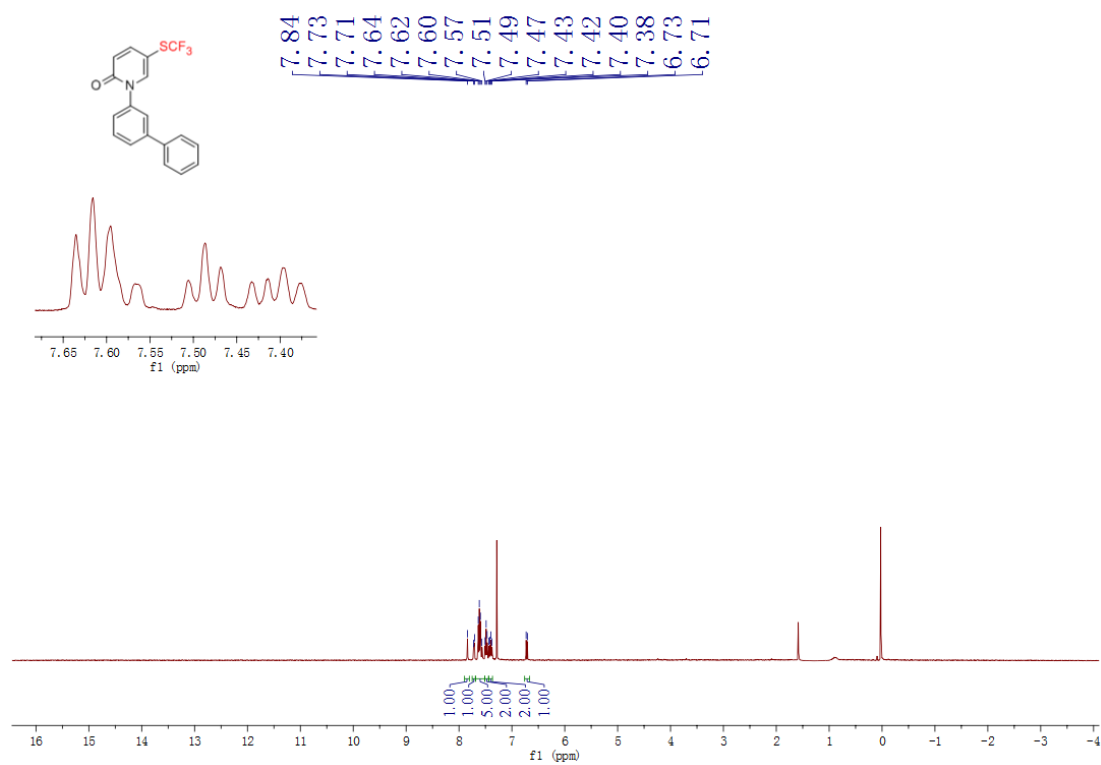
^{13}C NMR spectrum of **3m** in CDCl_3



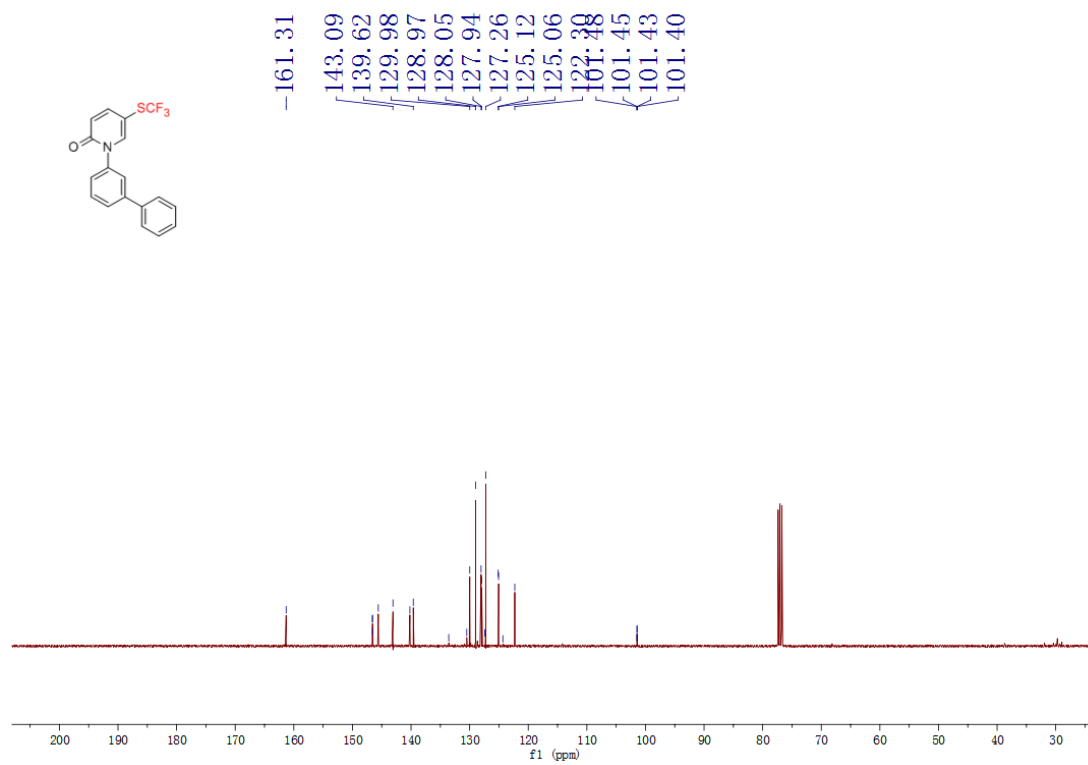
^{19}F NMR spectrum of **3n** in CDCl_3

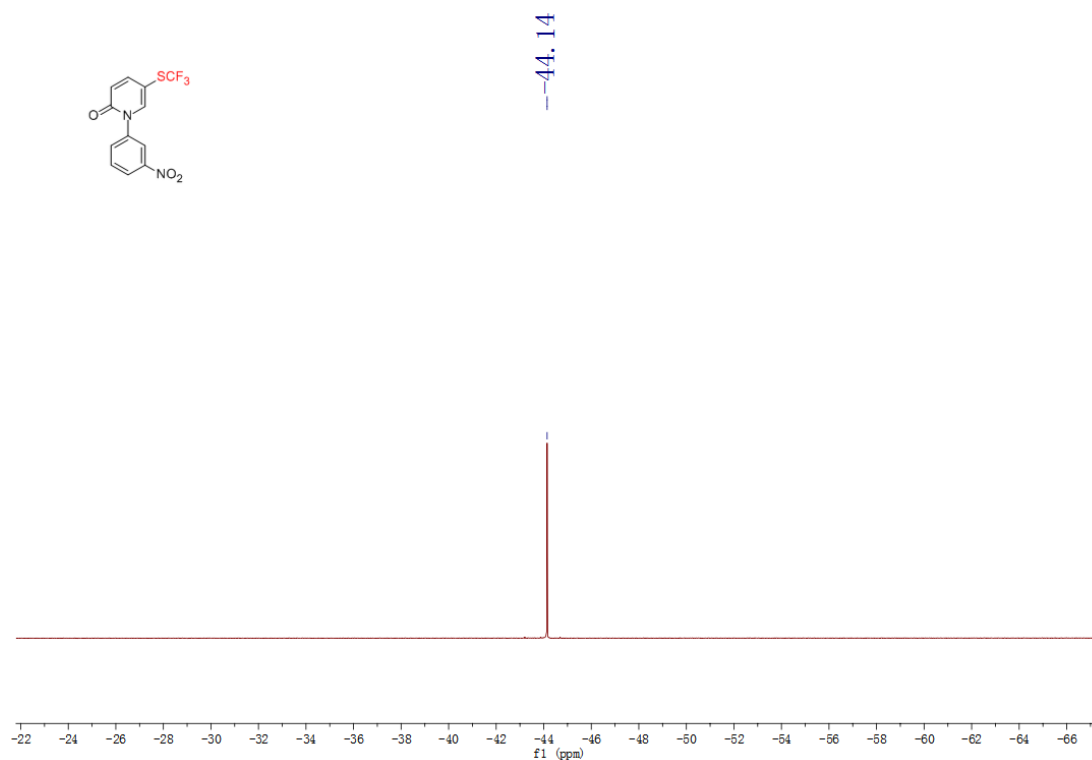
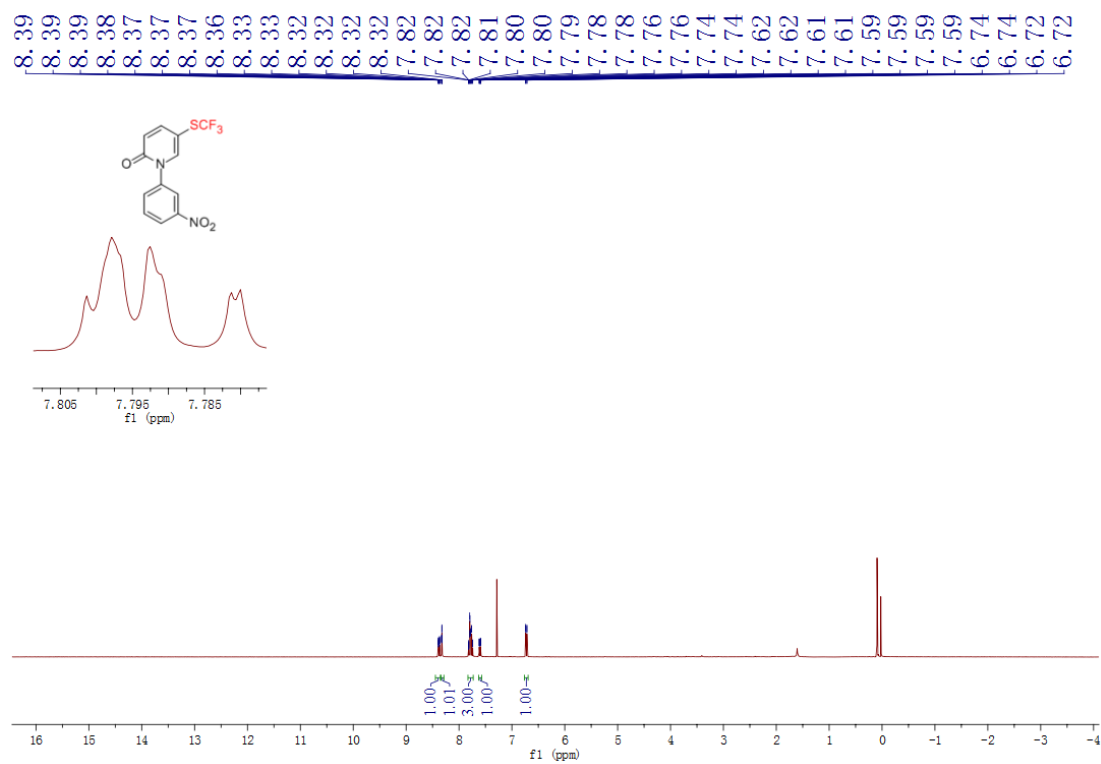


^1H NMR spectrum of **3n** in CDCl_3

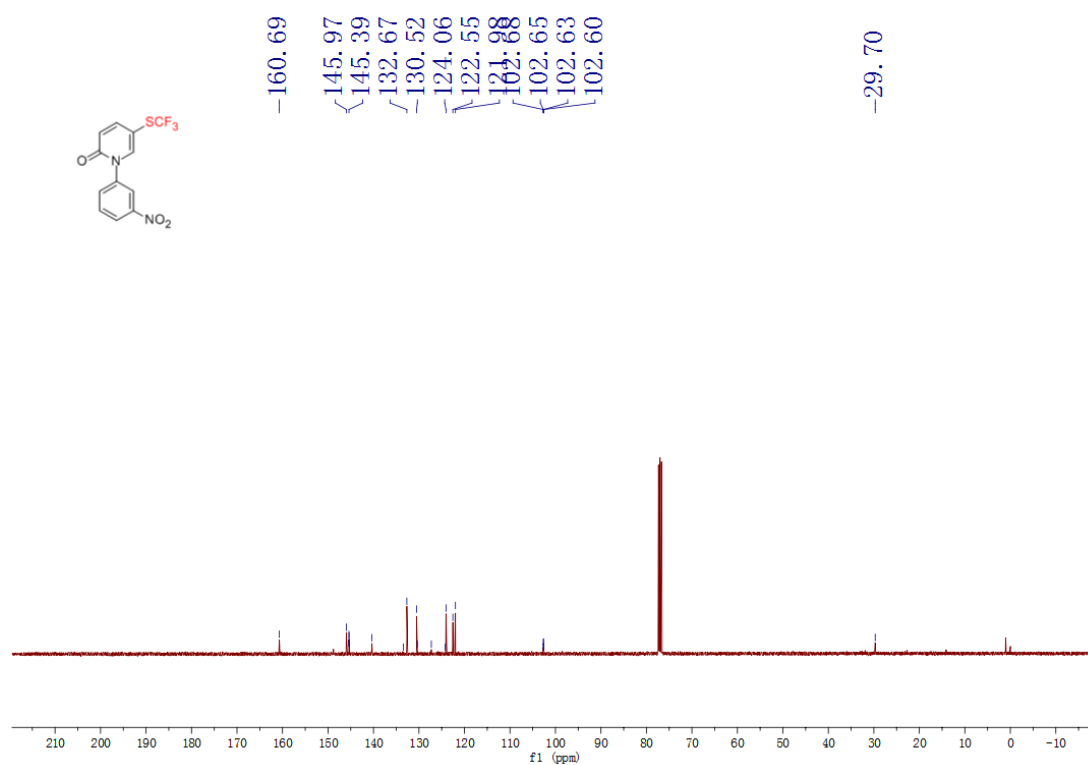


^{13}C NMR spectrum of **3n** in CDCl_3

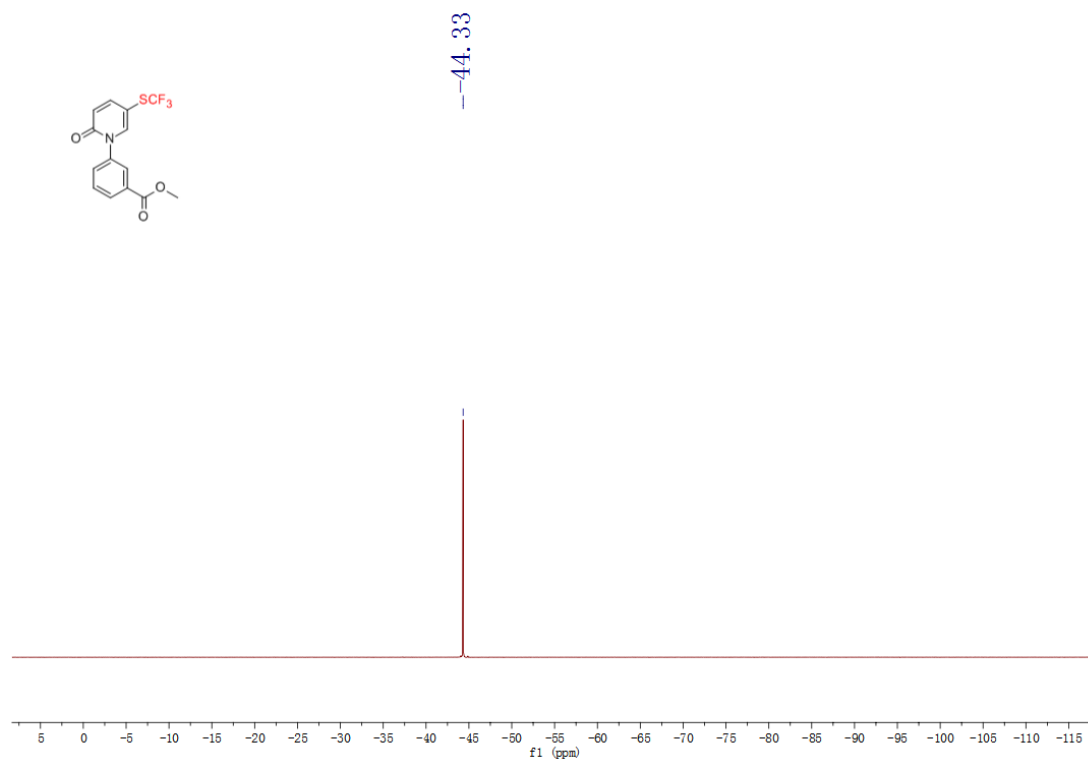


¹⁹F NMR spectrum of **3o** in CDCl₃¹H NMR spectrum of **3o** in CDCl₃

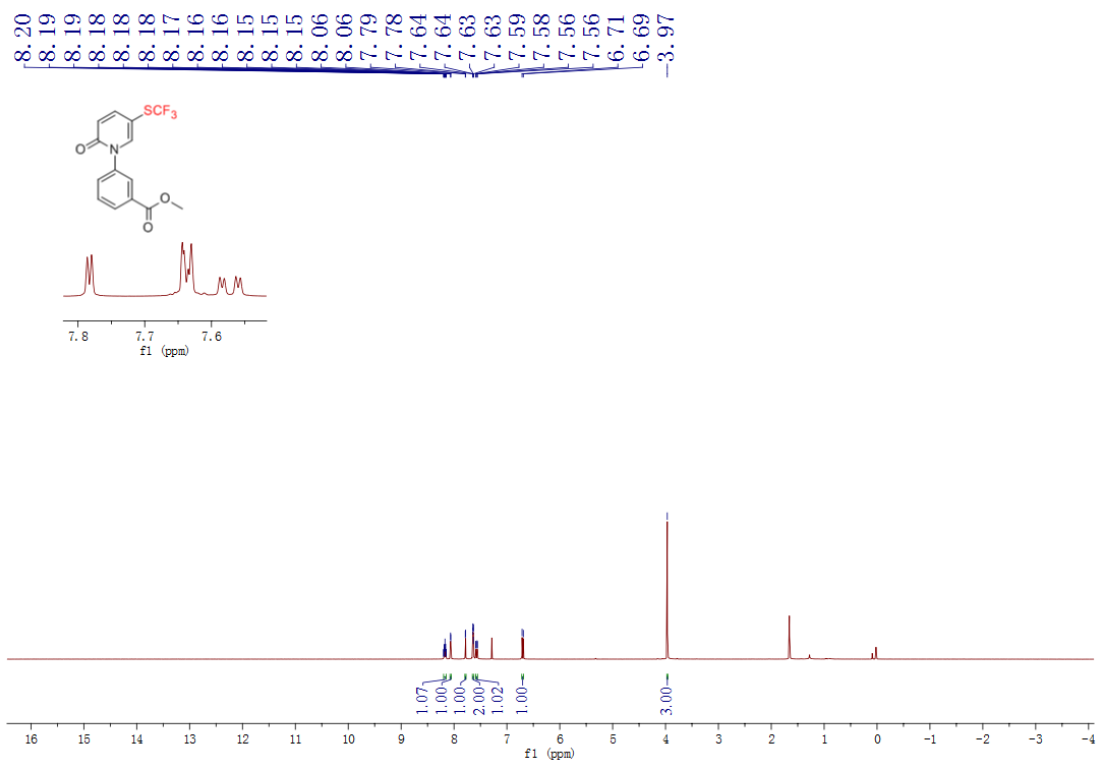
^{13}C NMR spectrum of **3o** in CDCl_3



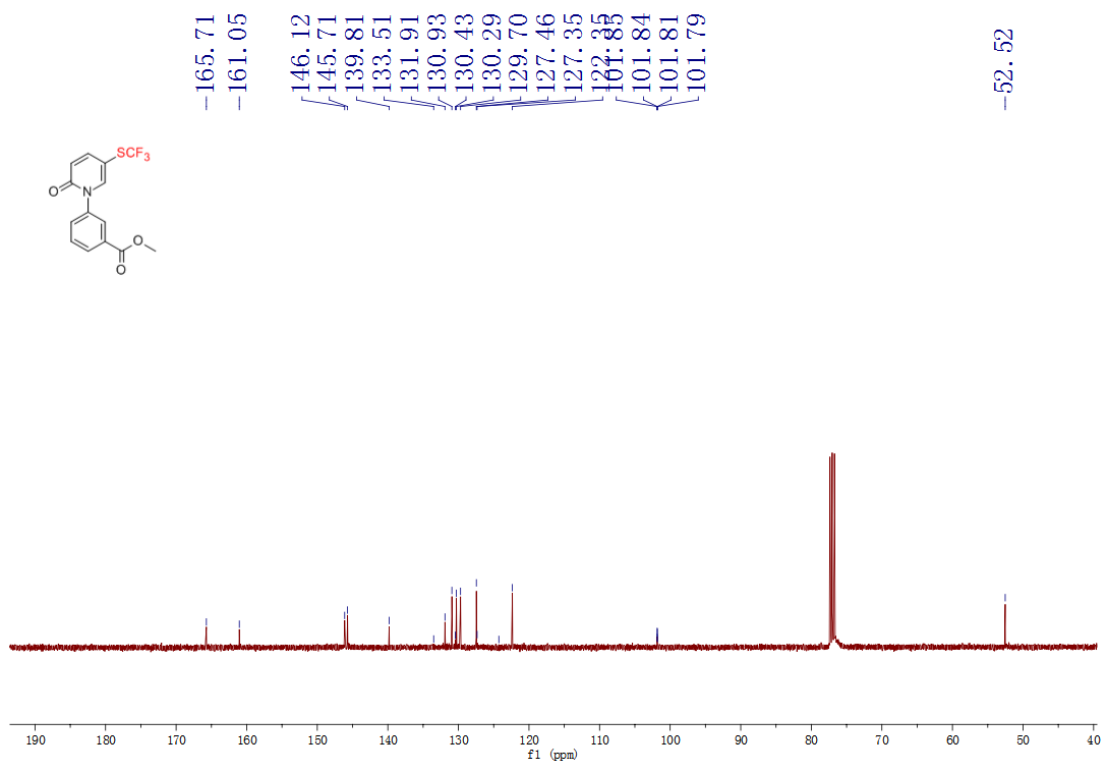
^{19}F NMR spectrum of **3p** in CDCl_3



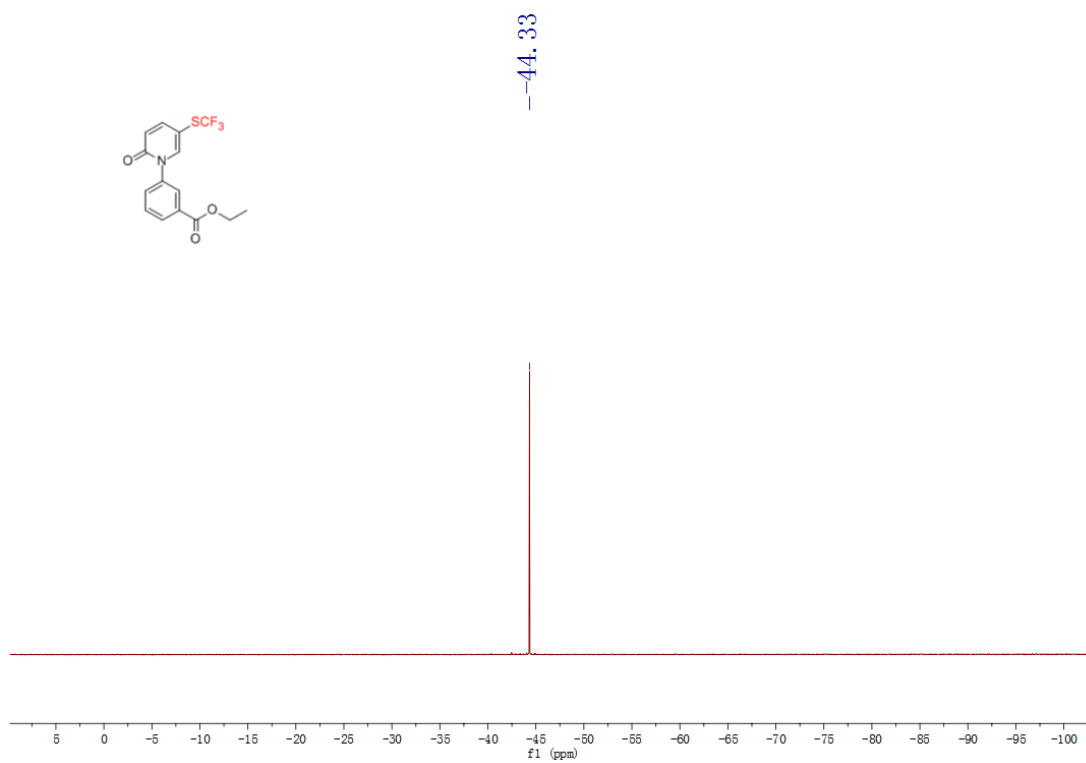
^1H NMR spectrum of **3p** in CDCl_3



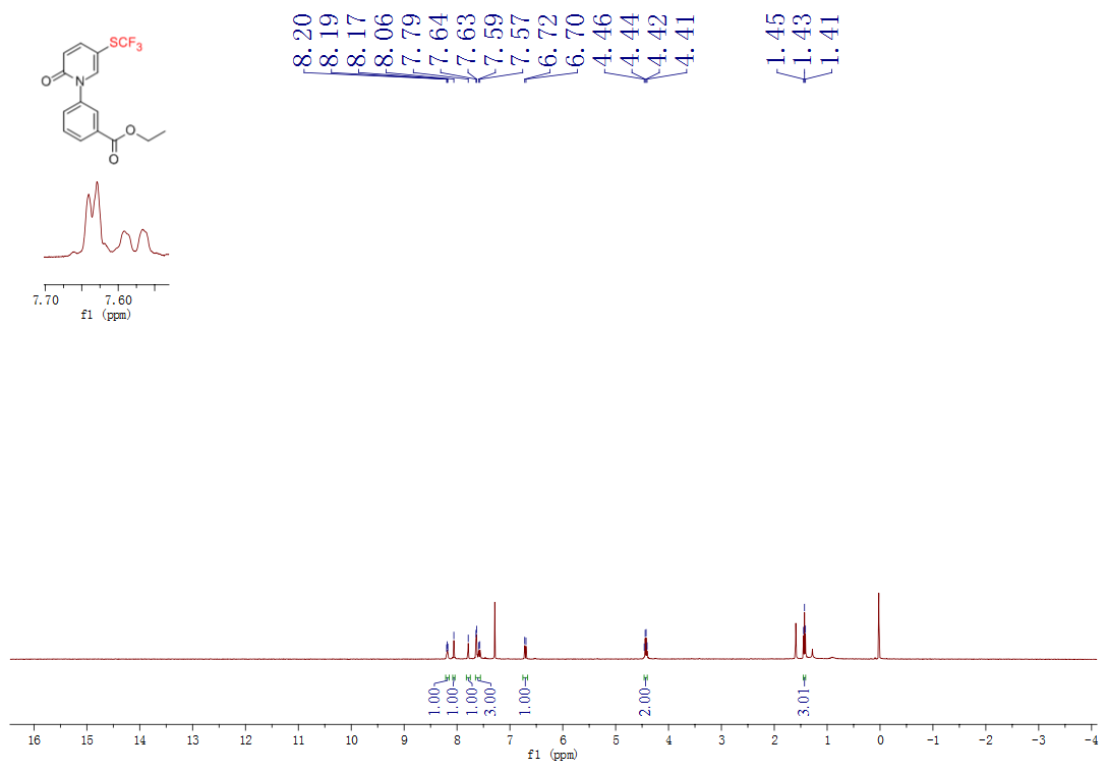
^{13}C NMR spectrum of **3p** in CDCl_3



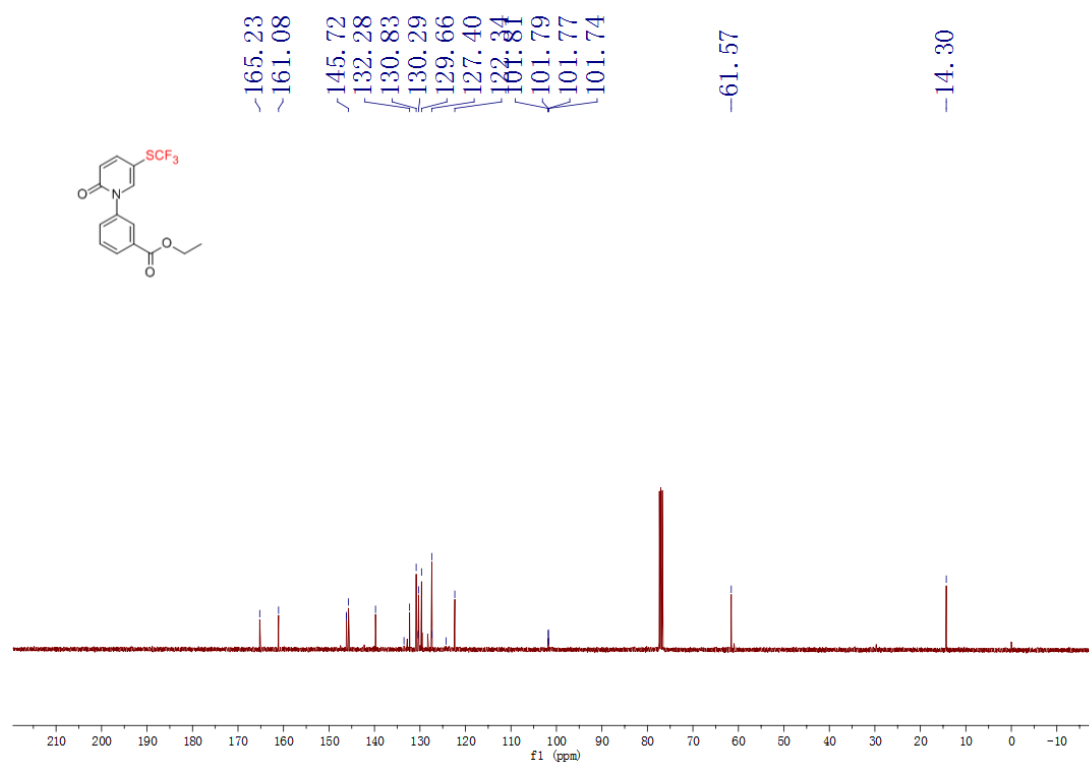
^{19}F NMR spectrum of **3q** in CDCl_3



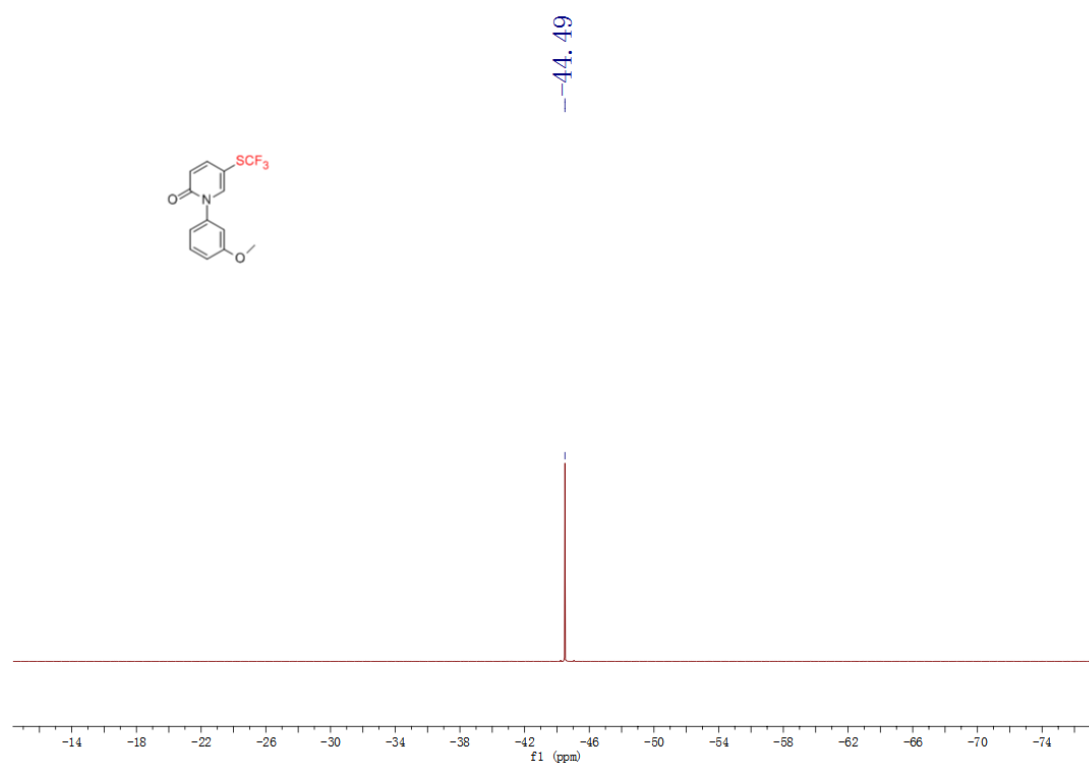
^1H NMR spectrum of **3q** in CDCl_3



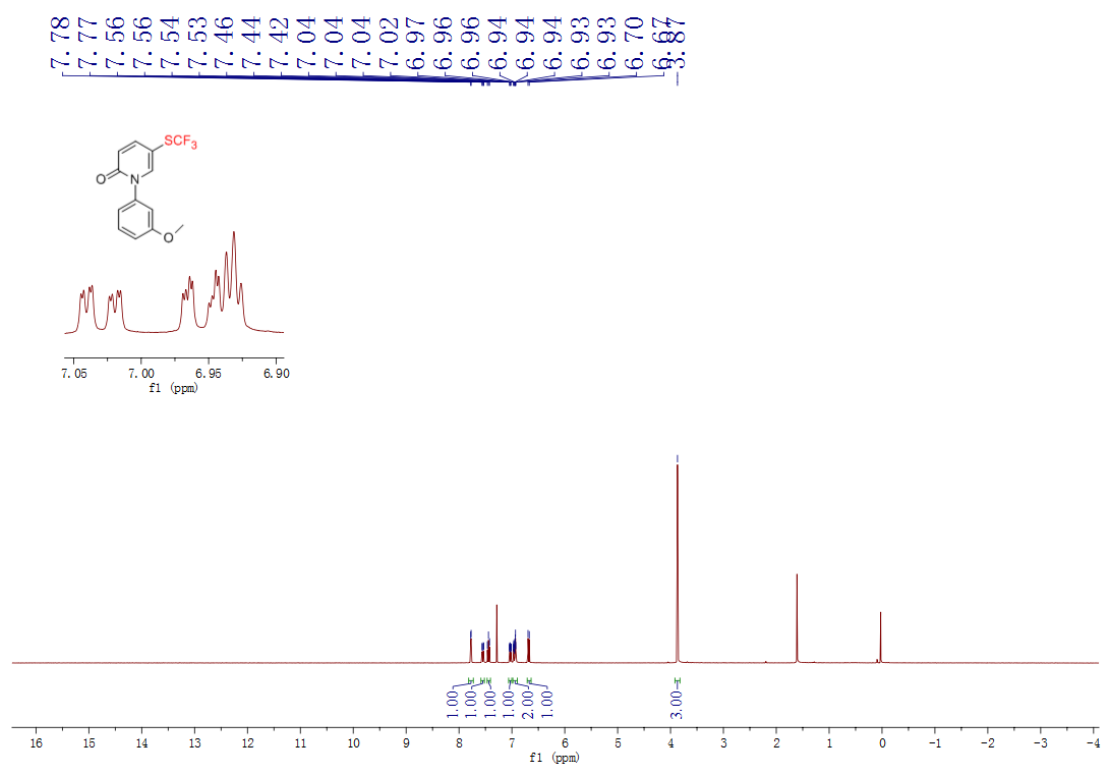
^{13}C NMR spectrum of **3q** in CDCl_3



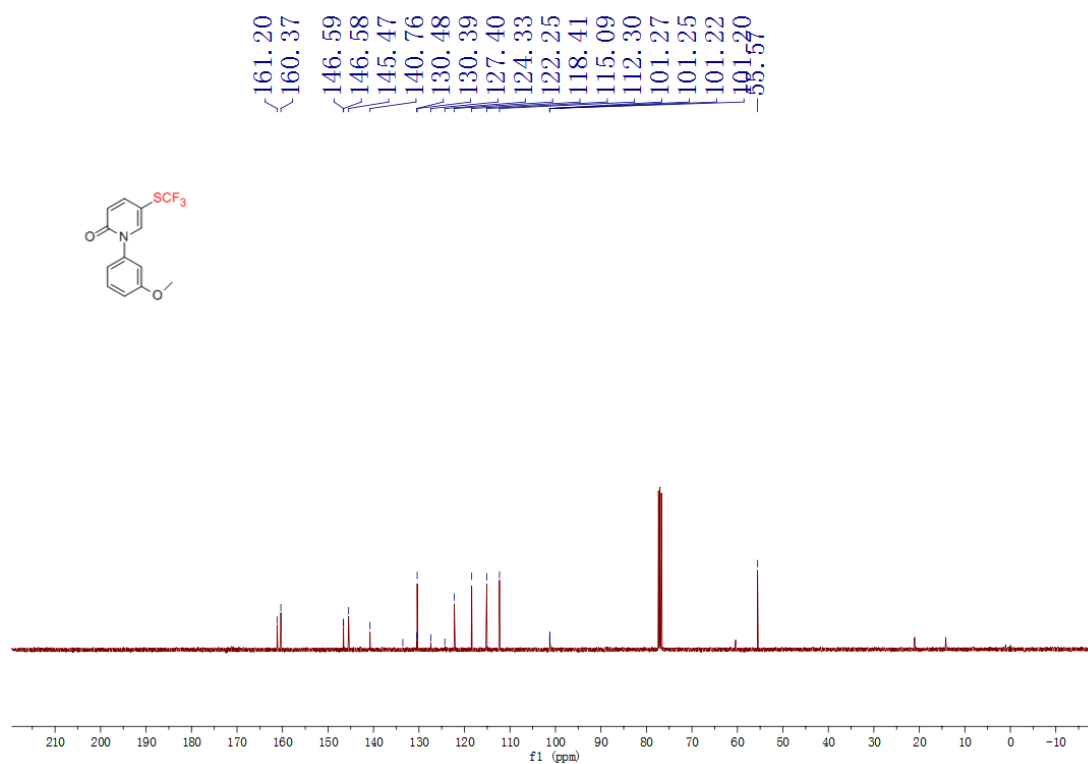
^{19}F NMR spectrum of **3r** in CDCl_3



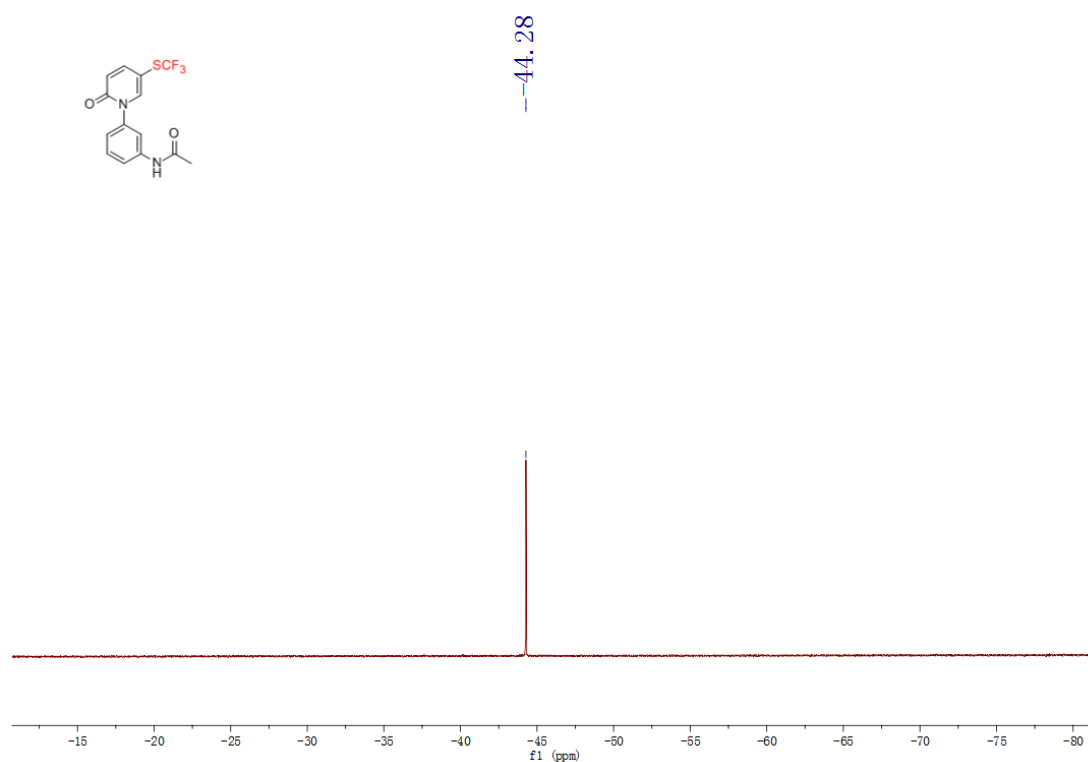
^1H NMR spectrum of **3r** in CDCl_3



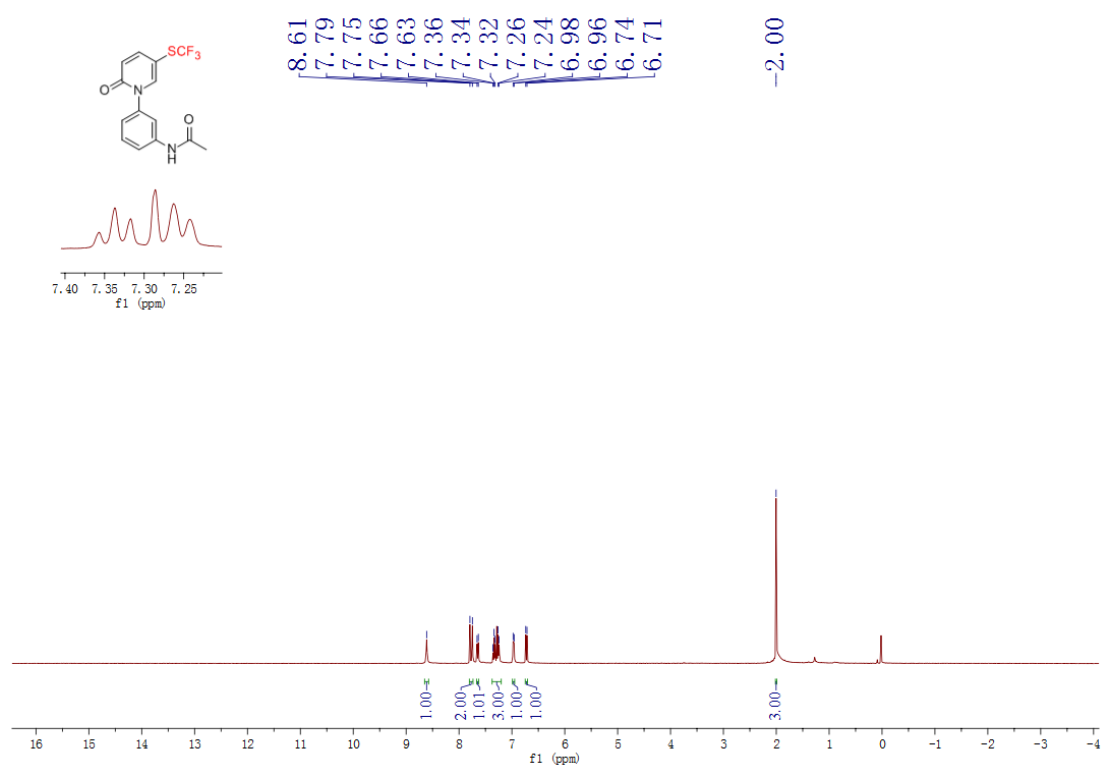
^{13}C NMR spectrum of **3r** in CDCl_3



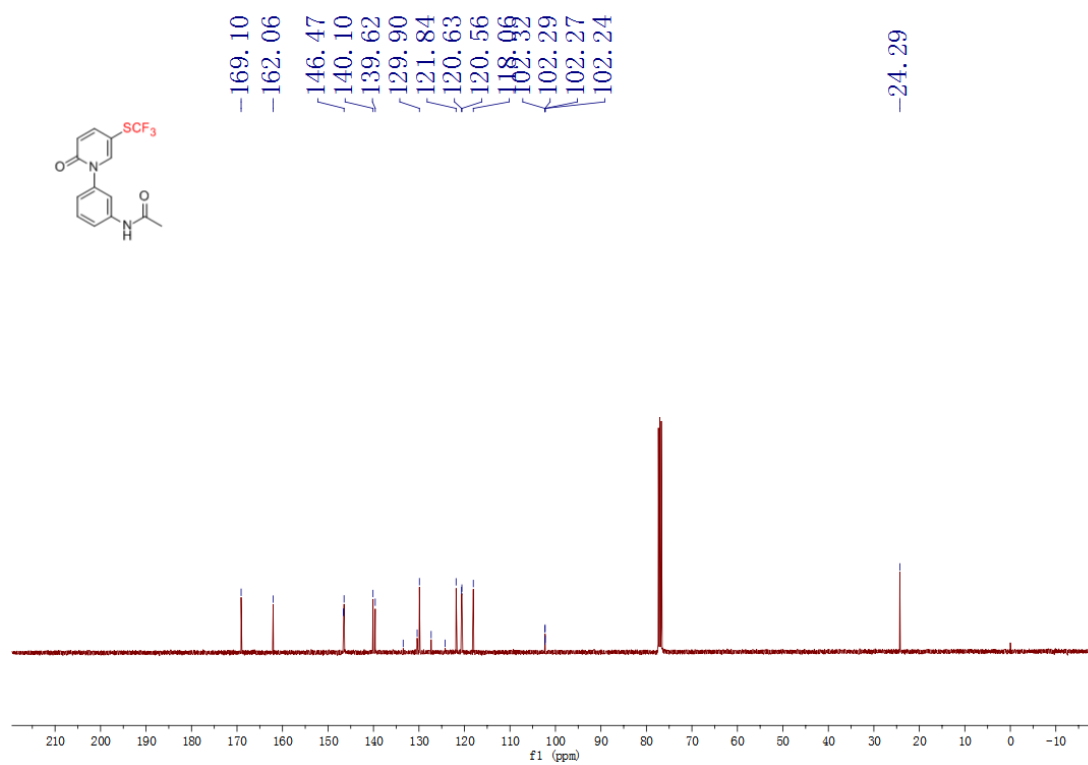
^{19}F NMR spectrum of **3s** in CDCl_3



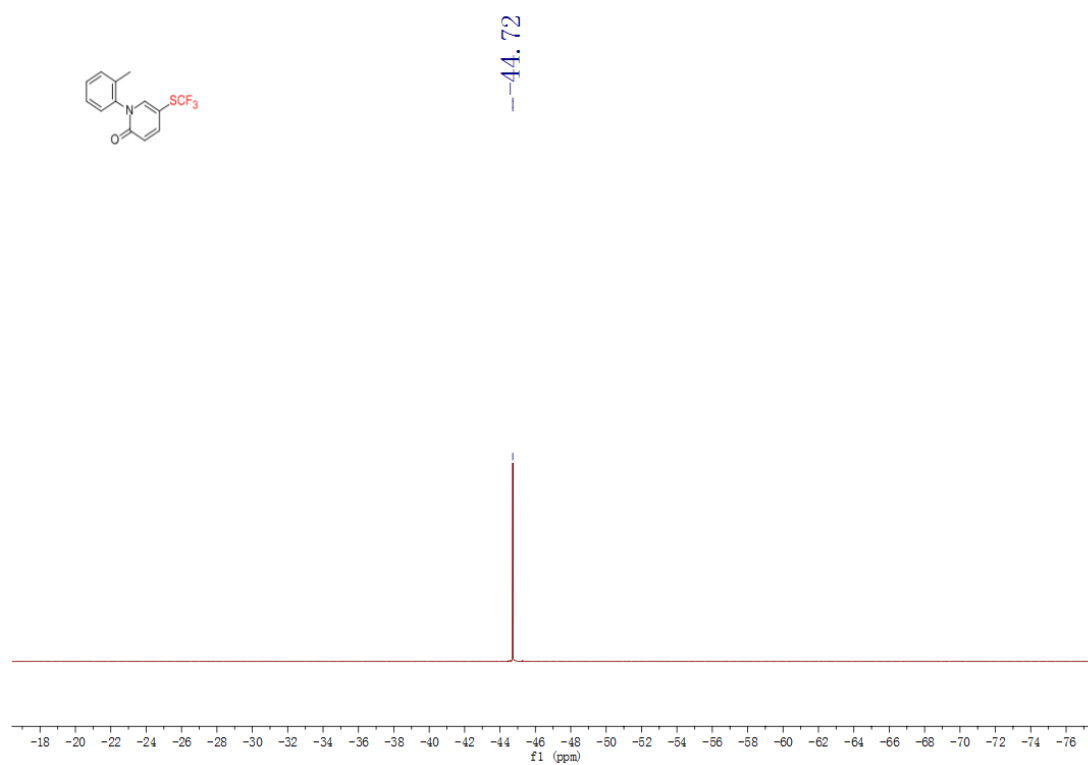
^1H NMR spectrum of **3s** in CDCl_3



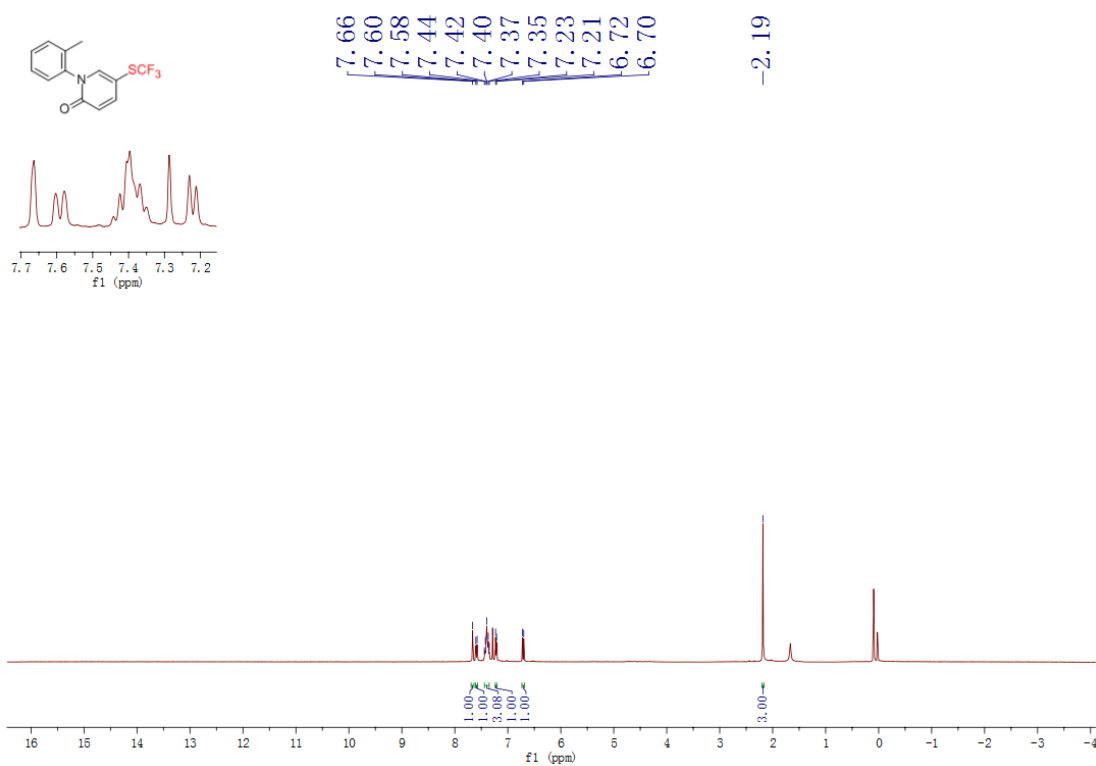
^{13}C NMR spectrum of **3s** in CDCl_3



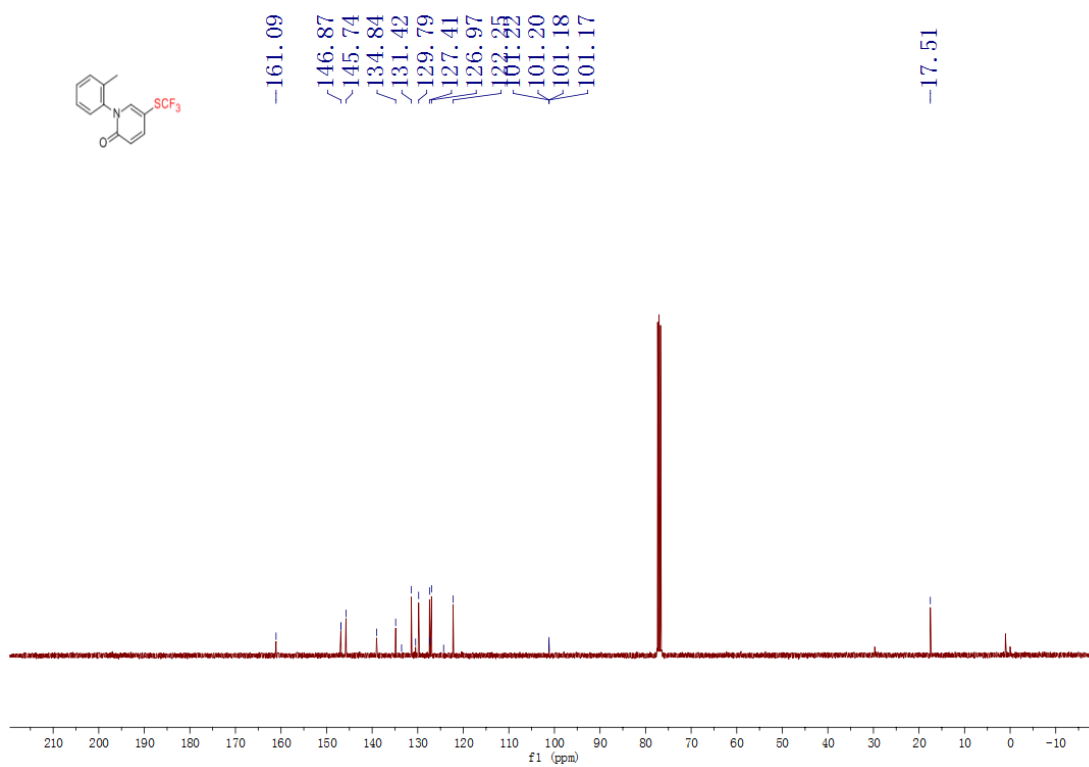
^{19}F NMR spectrum of **3t** in CDCl_3



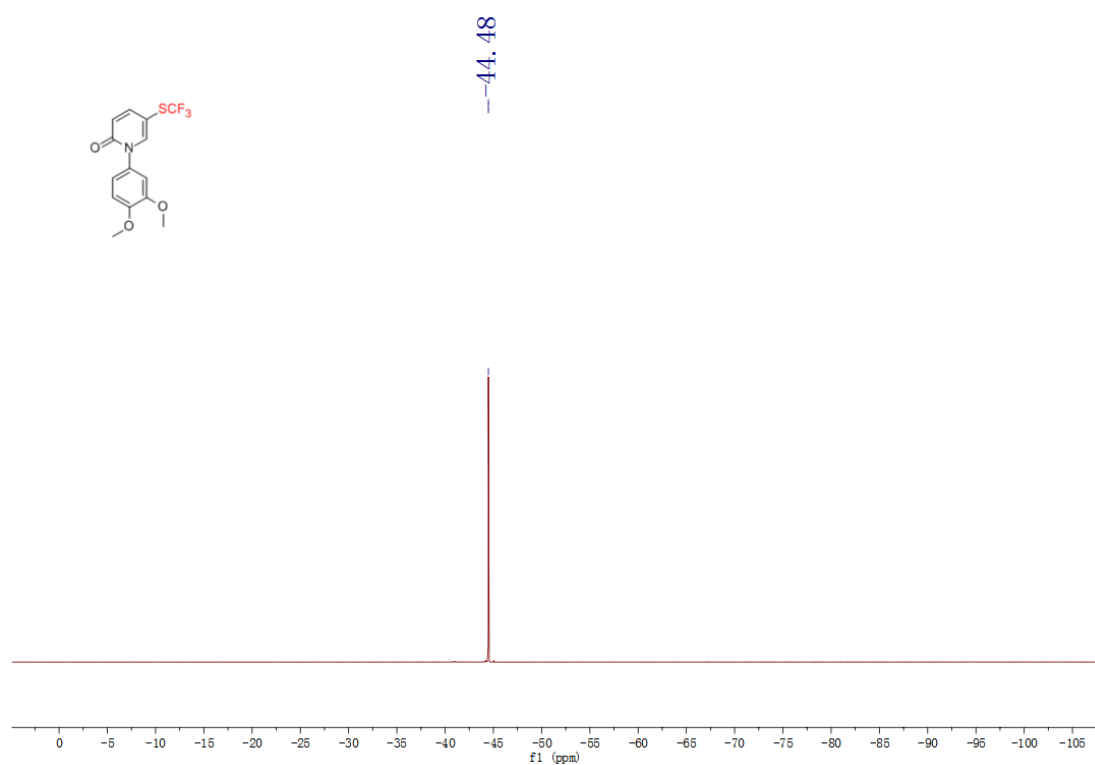
^1H NMR spectrum of **3t** in CDCl_3



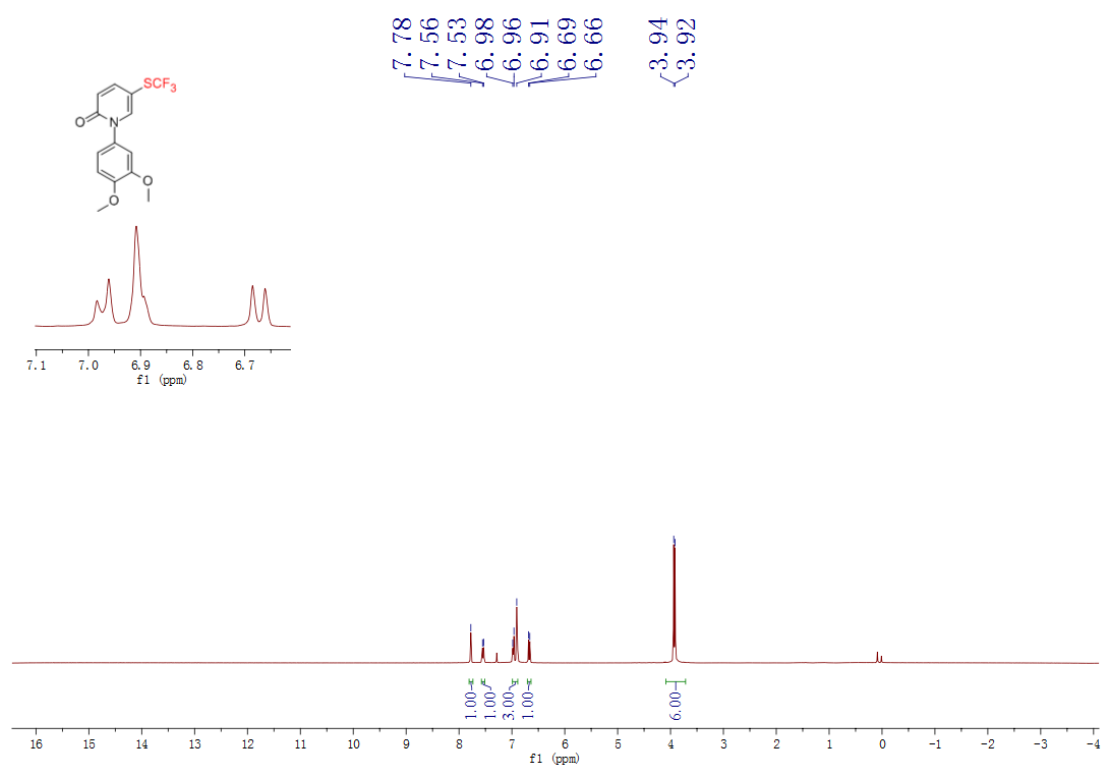
^{13}C NMR spectrum of **3t** in CDCl_3



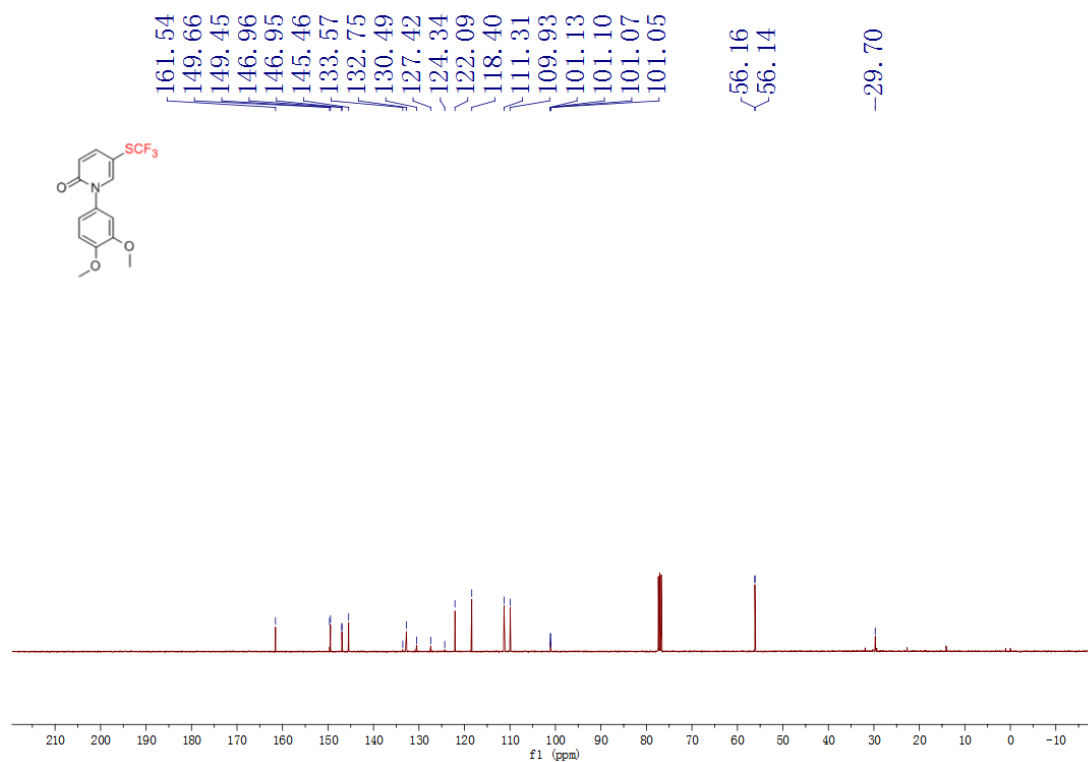
^{19}F NMR spectrum of **3u** in CDCl_3



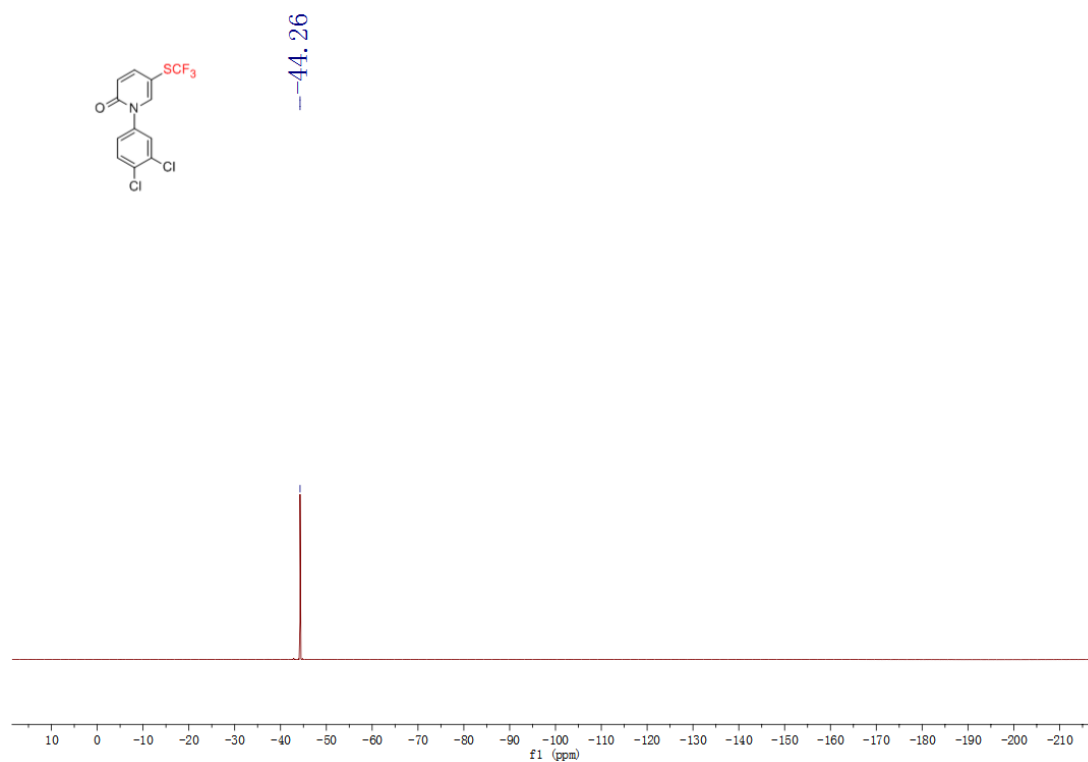
^1H NMR spectrum of **3u** in CDCl_3



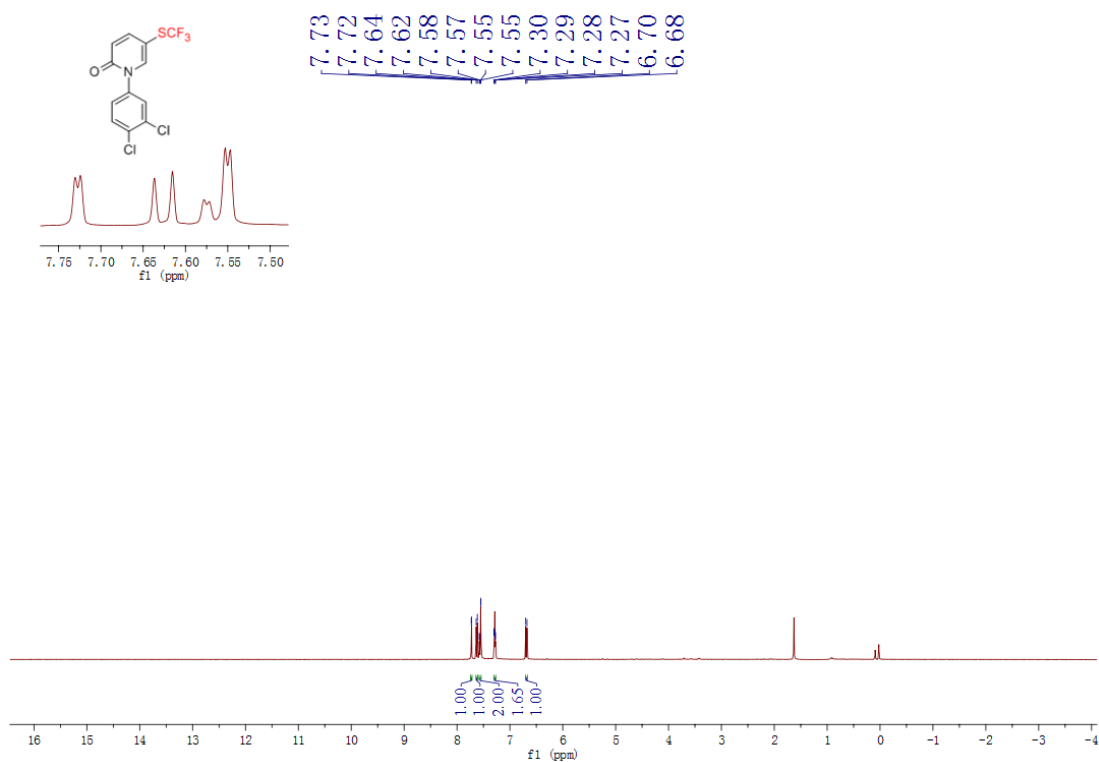
^{13}C NMR spectrum of **3u** in CDCl_3



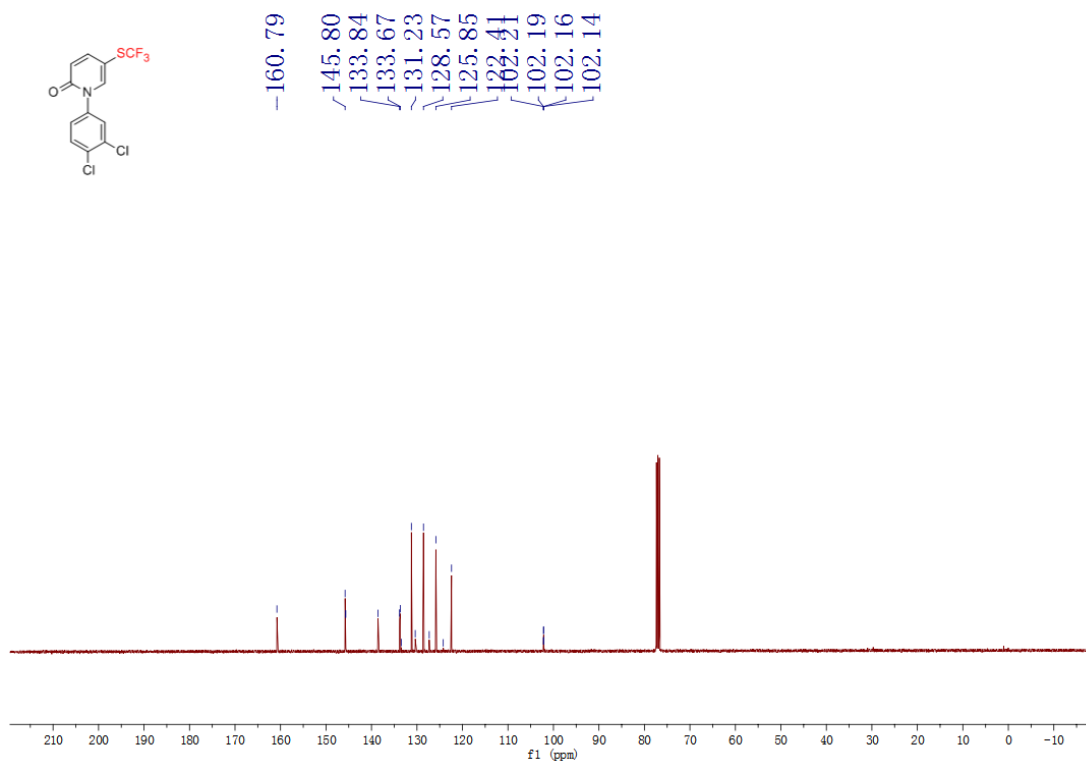
^{19}F NMR spectrum of **3v** in CDCl_3



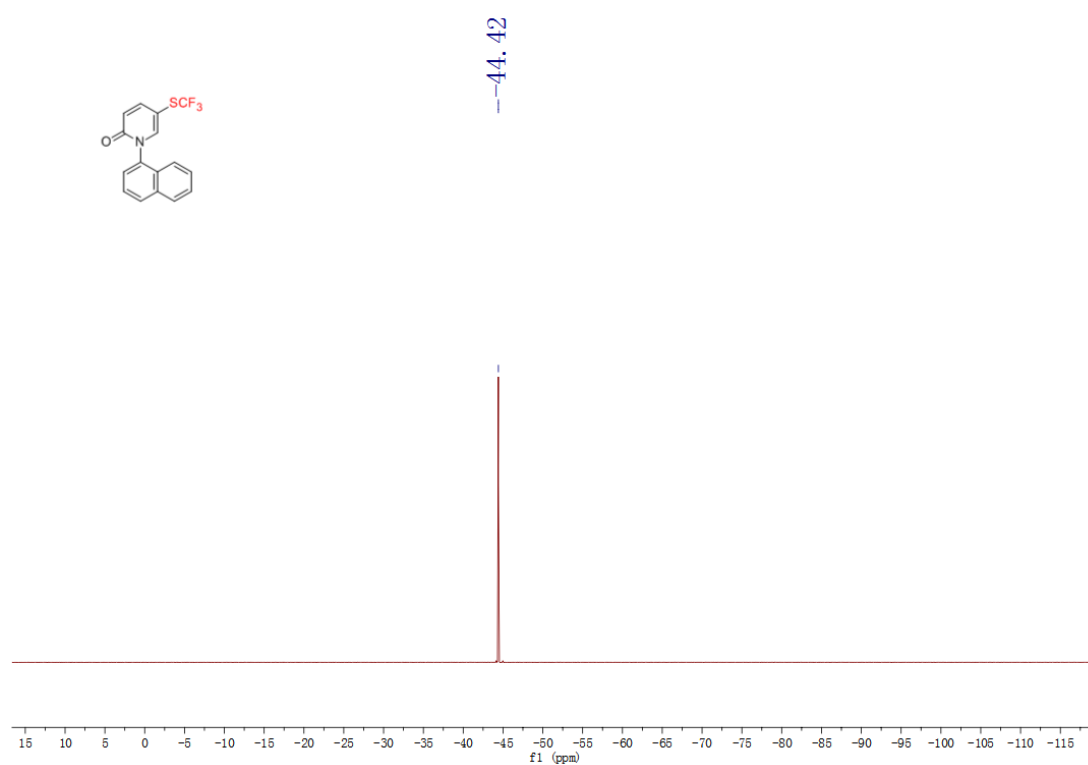
^1H NMR spectrum of **3v** in CDCl_3



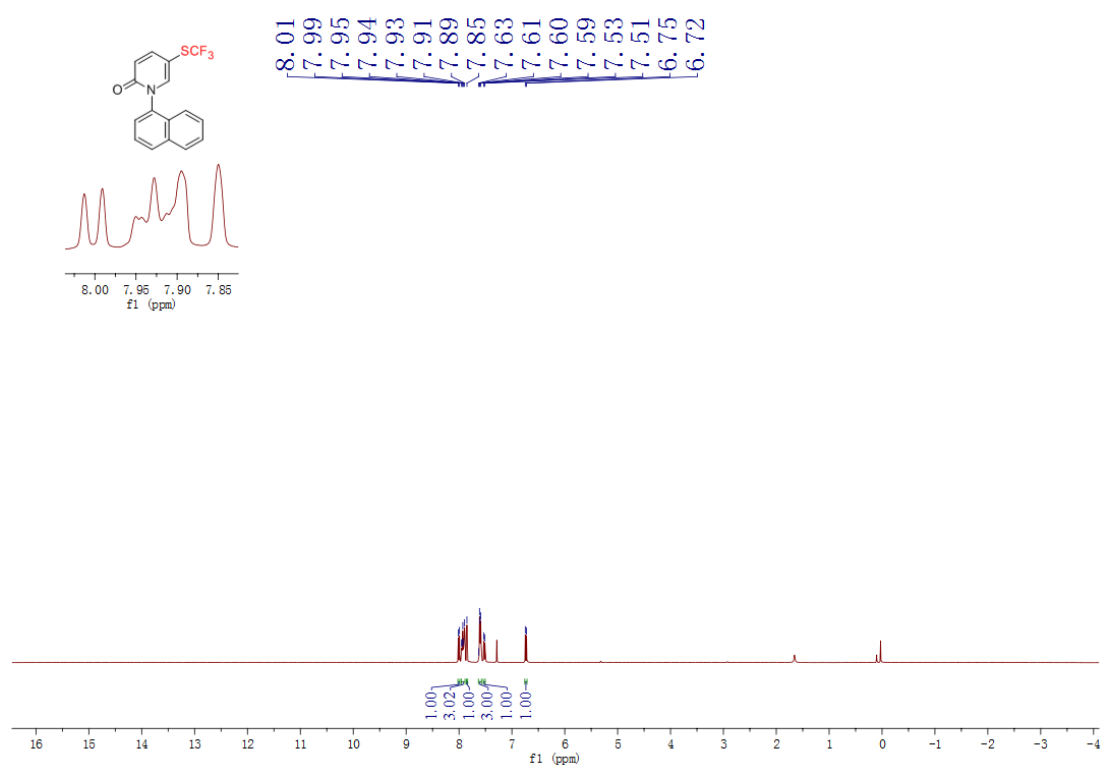
^{13}C NMR spectrum of **3v** in CDCl_3



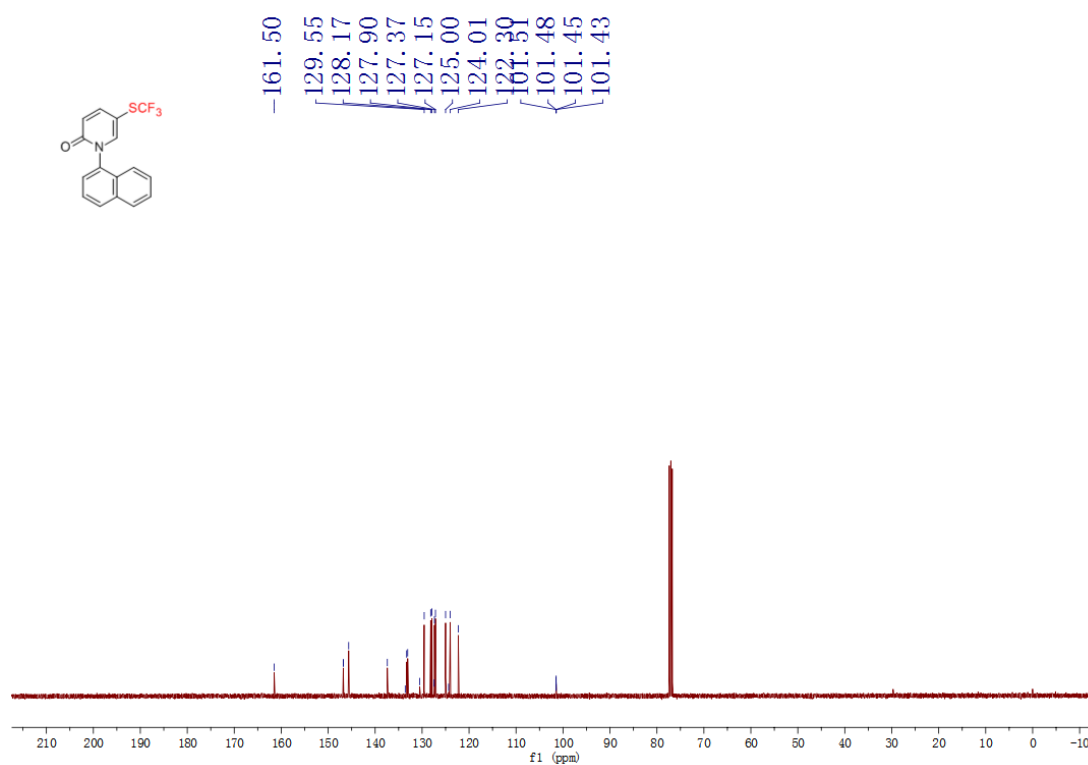
^{19}F NMR spectrum of **3w** in CDCl_3



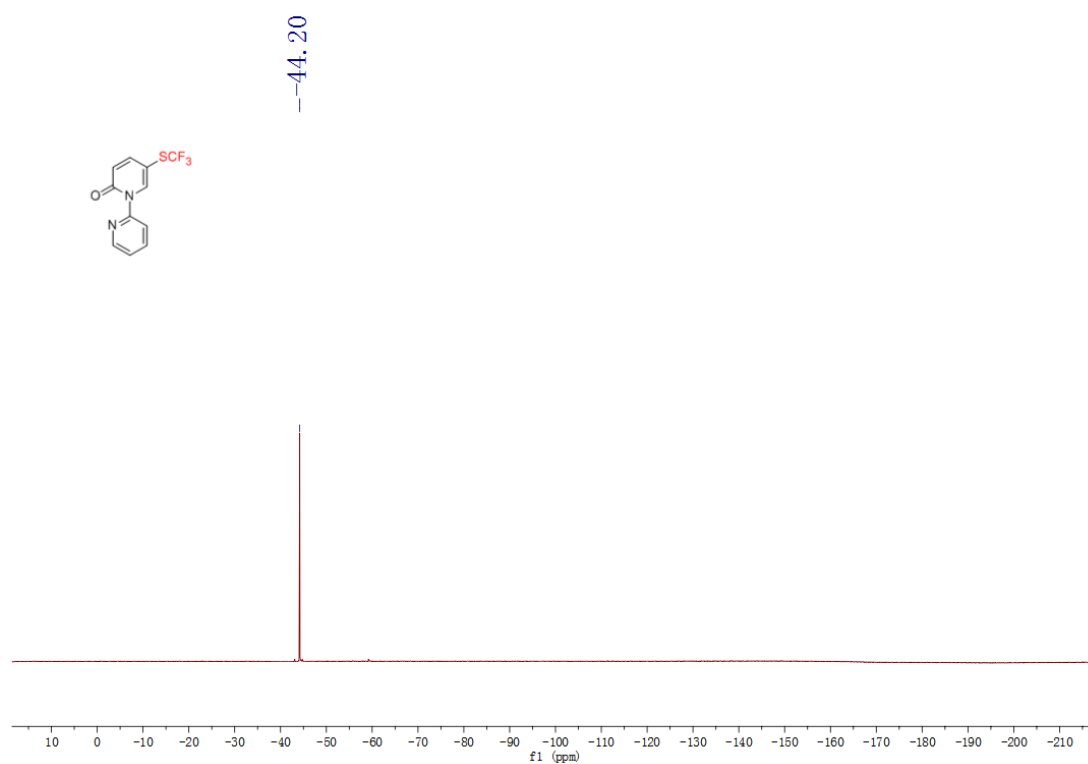
^1H NMR spectrum of **3w** in CDCl_3



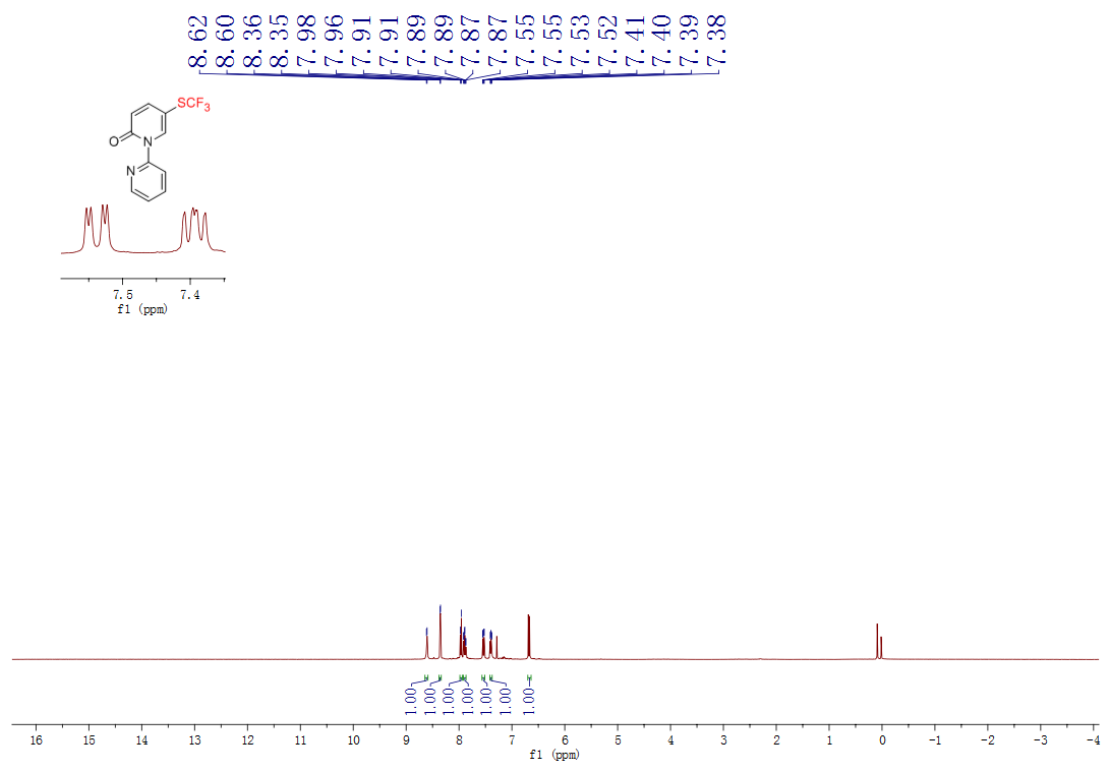
^{13}C NMR spectrum of **3w** in CDCl_3



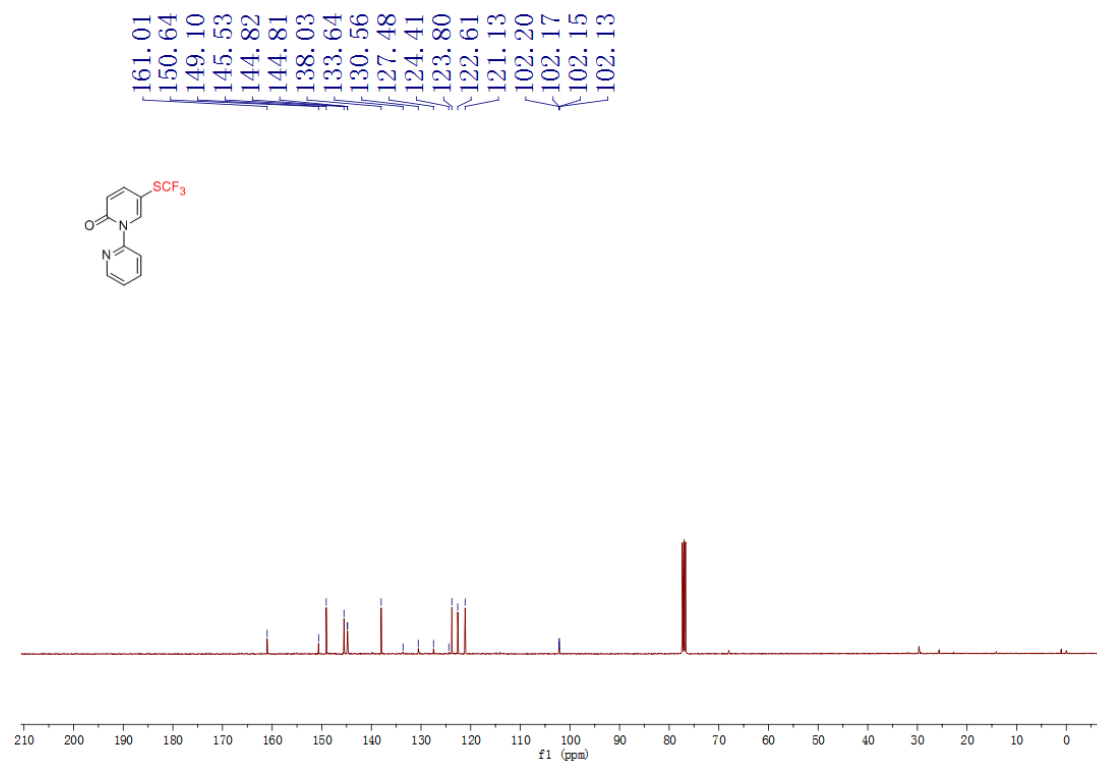
^{19}F NMR spectrum of **3x** in CDCl_3



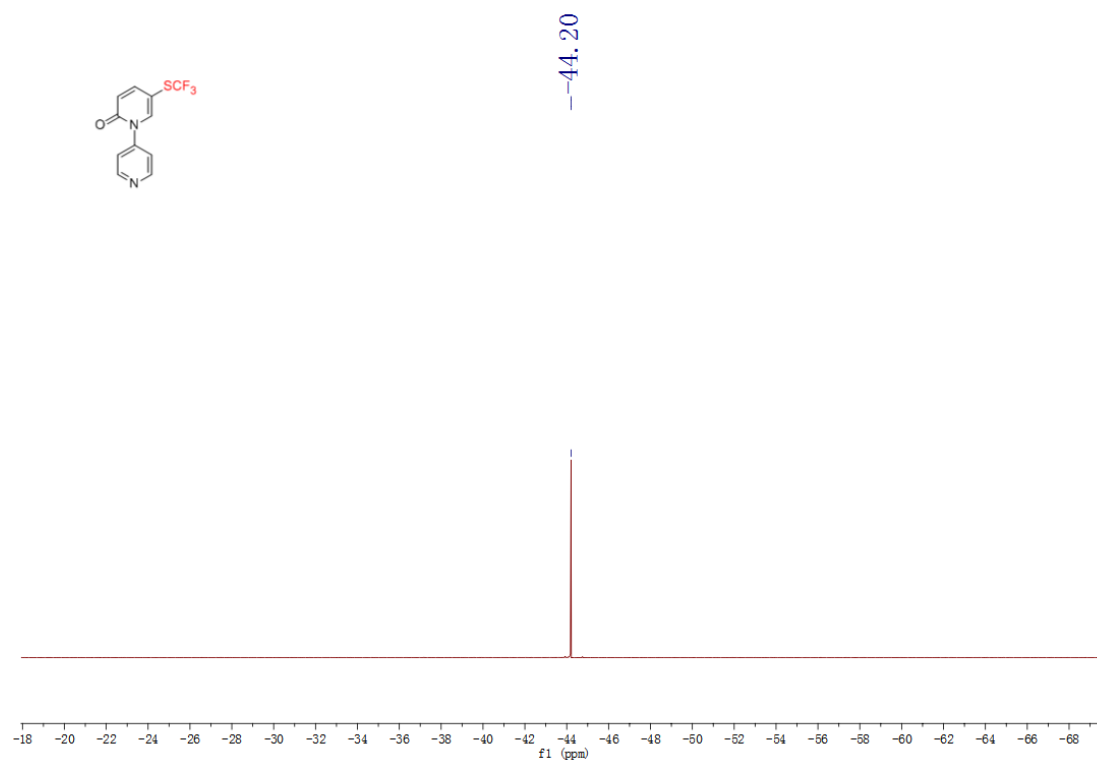
^1H NMR spectrum of **3x** in CDCl_3



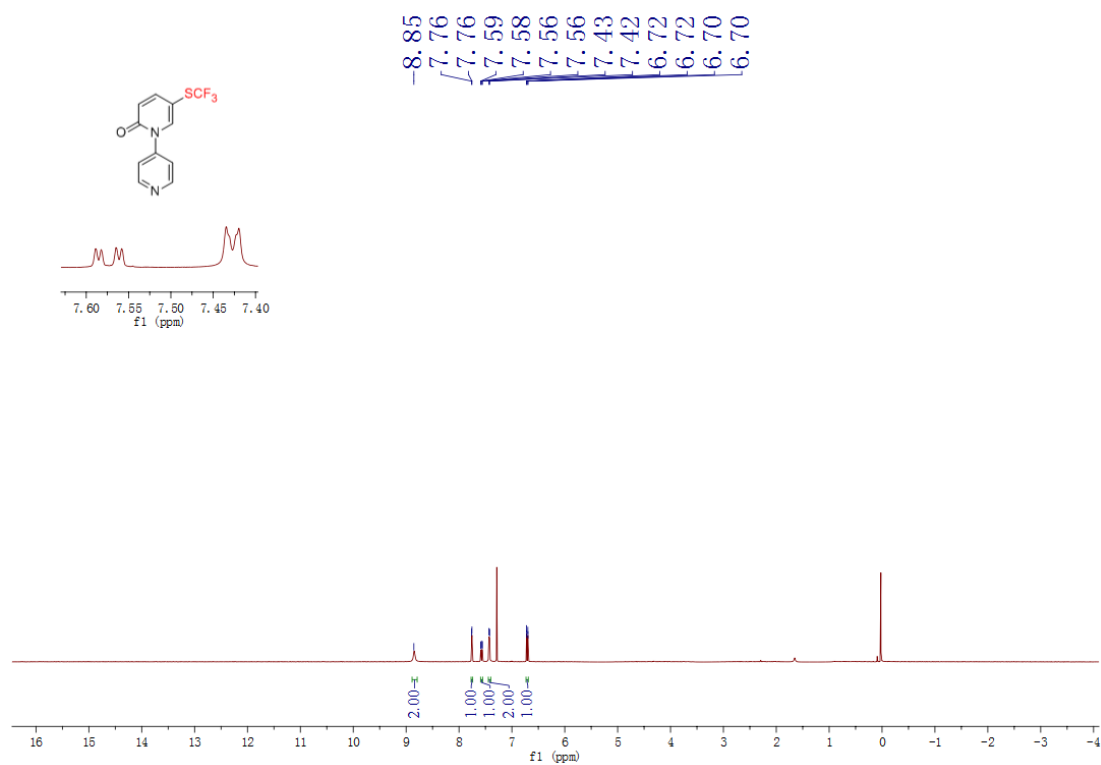
^{13}C NMR spectrum of **3x** in CDCl_3



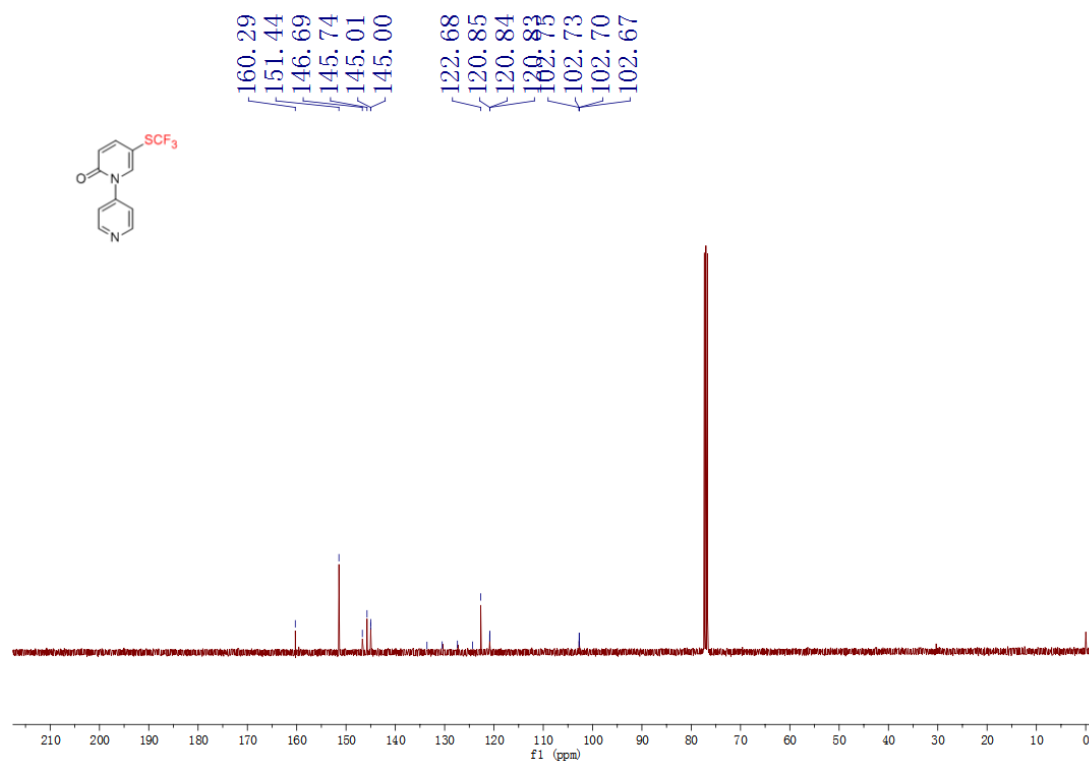
^{19}F NMR spectrum of **3y** in CDCl_3



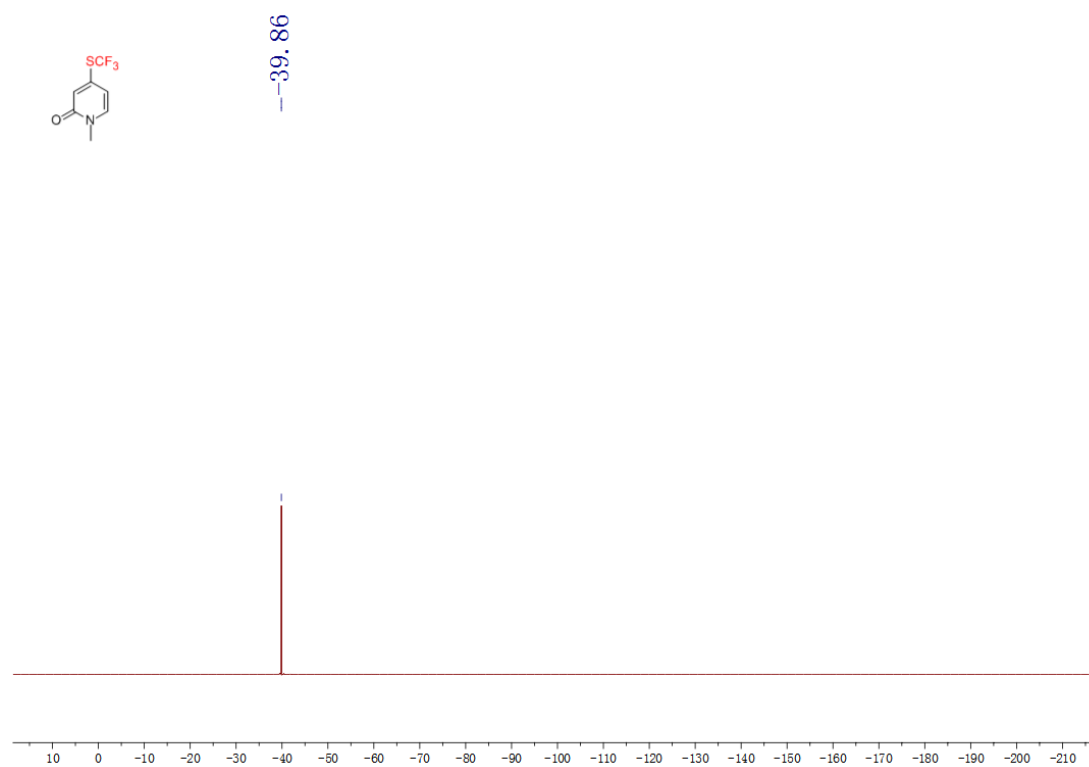
^1H NMR spectrum of **3y** in CDCl_3



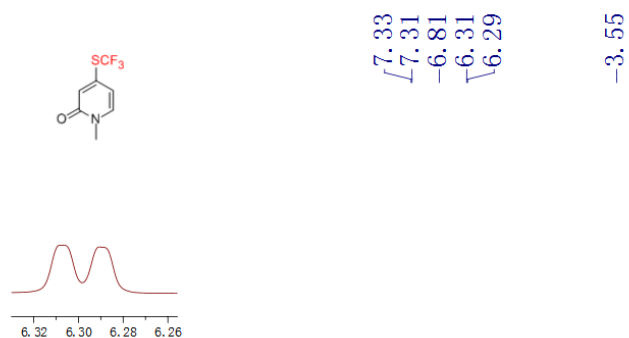
^{13}C NMR spectrum of **3y** in CDCl_3



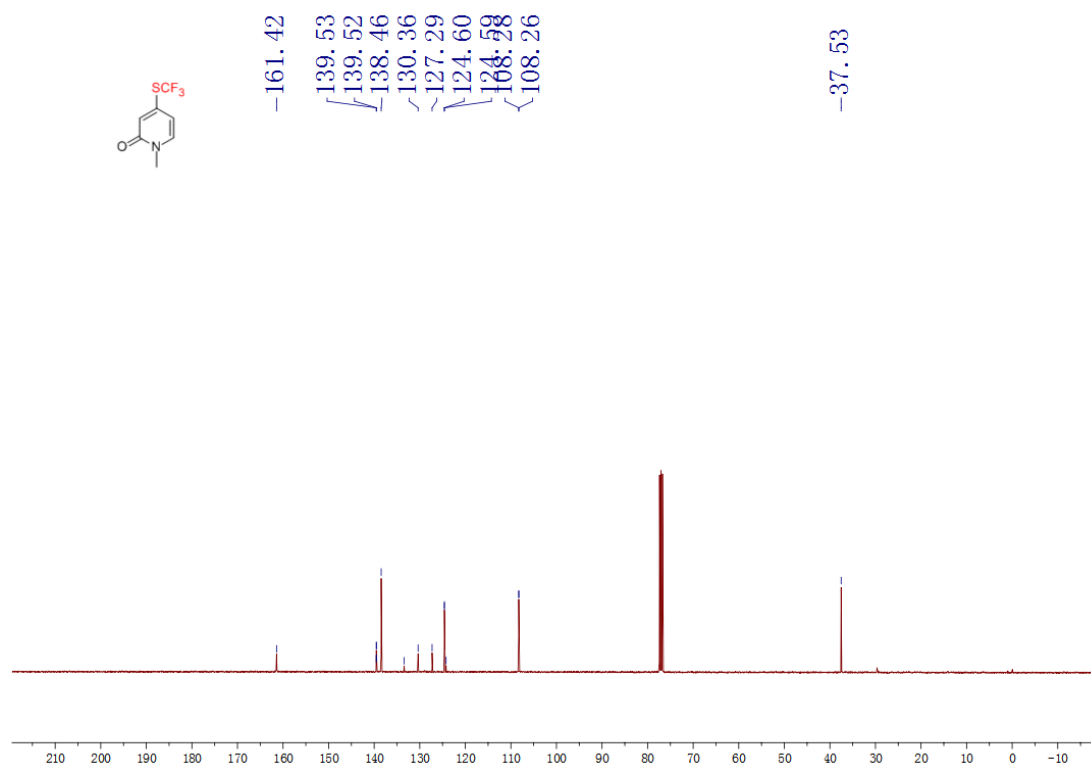
^{19}F NMR spectrum of **3z** in CDCl_3



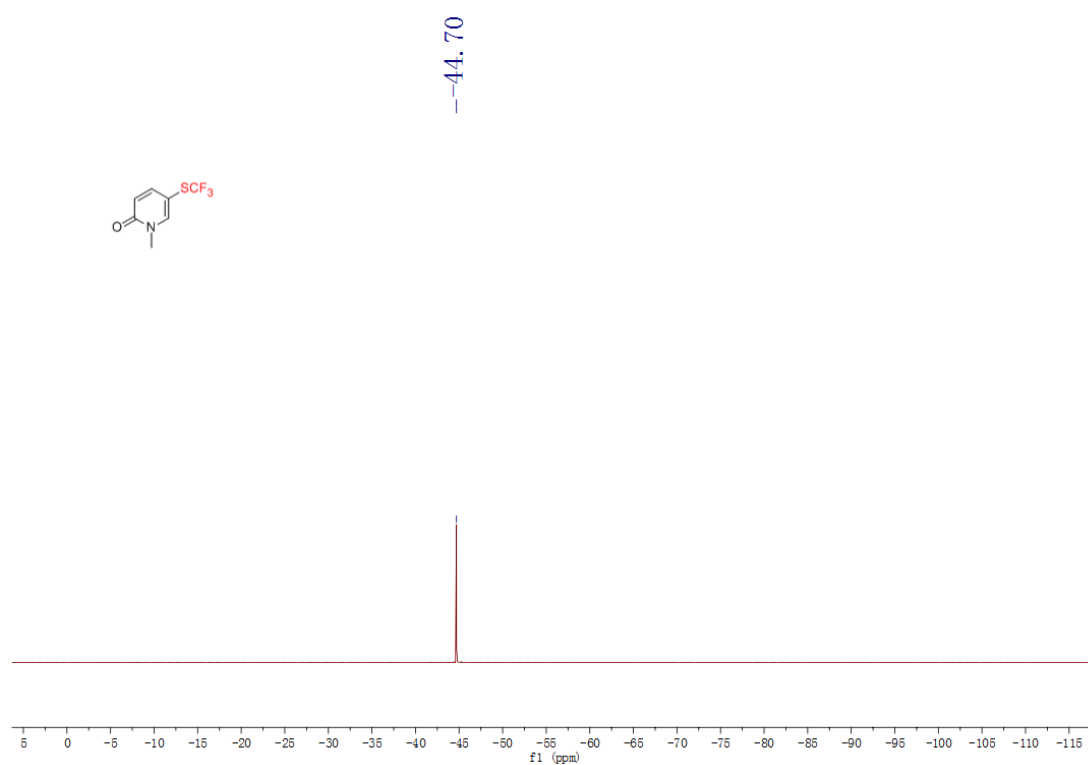
^1H NMR spectrum of **3z** in CDCl_3



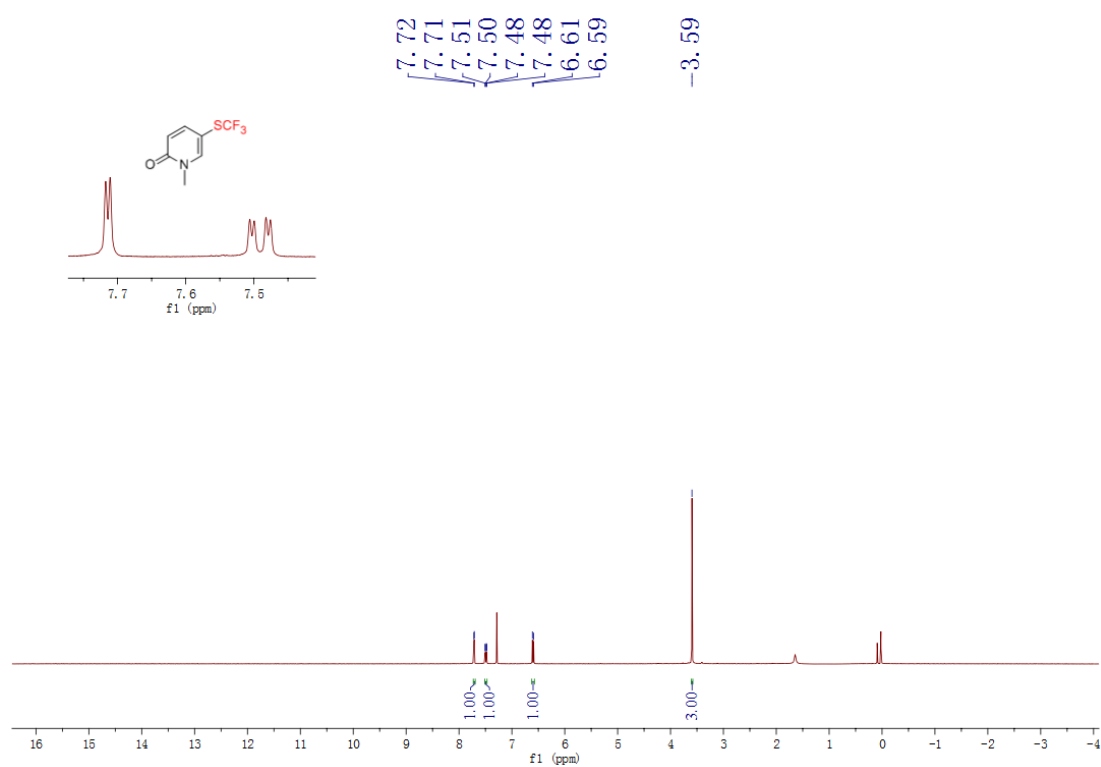
^{13}C NMR spectrum of **3z** in CDCl_3



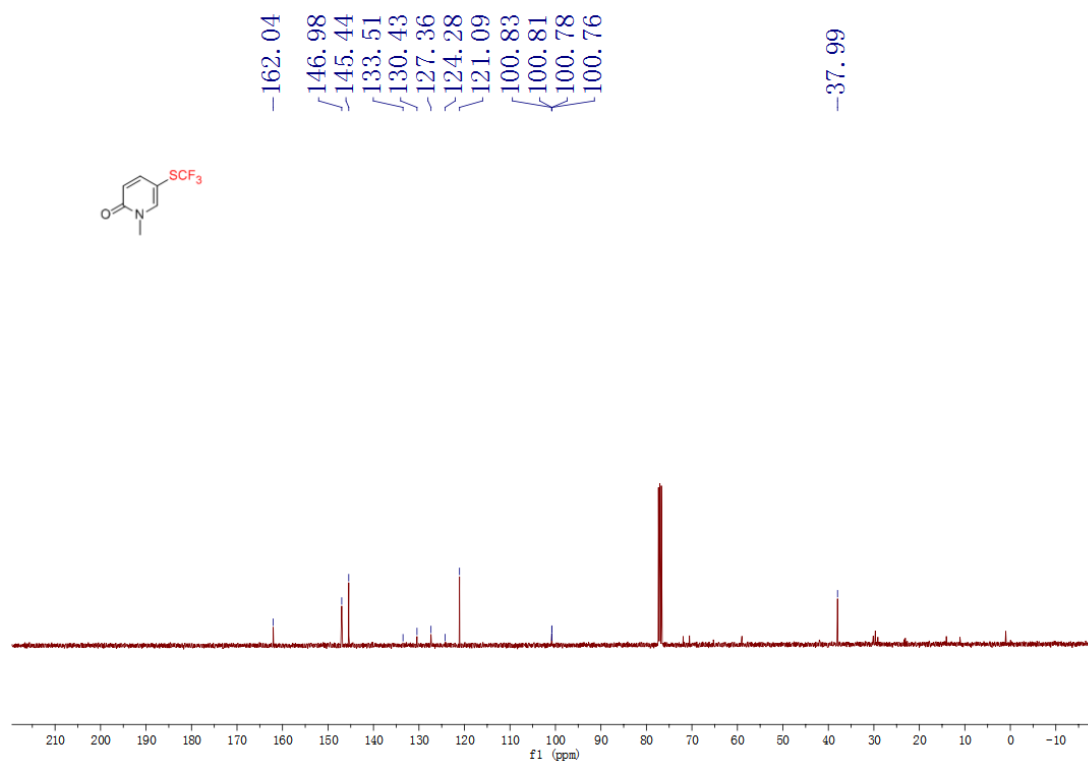
^{19}F NMR spectrum of **3aa** in CDCl_3



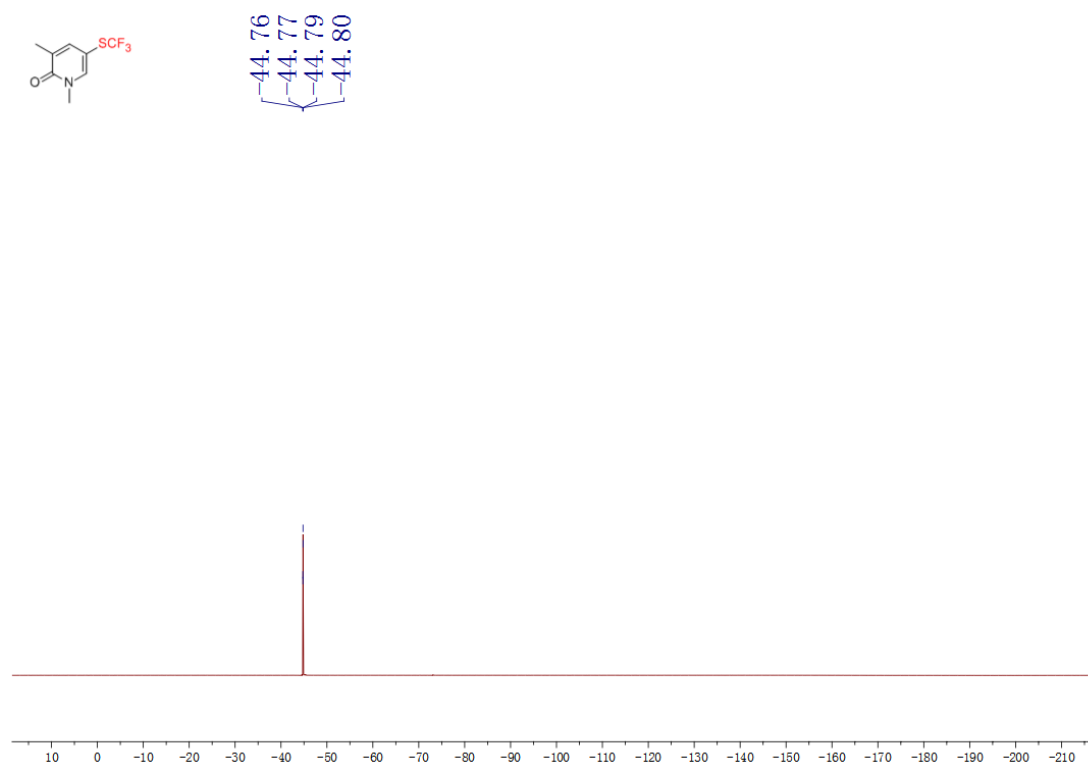
^1H NMR spectrum of **3aa** in CDCl_3



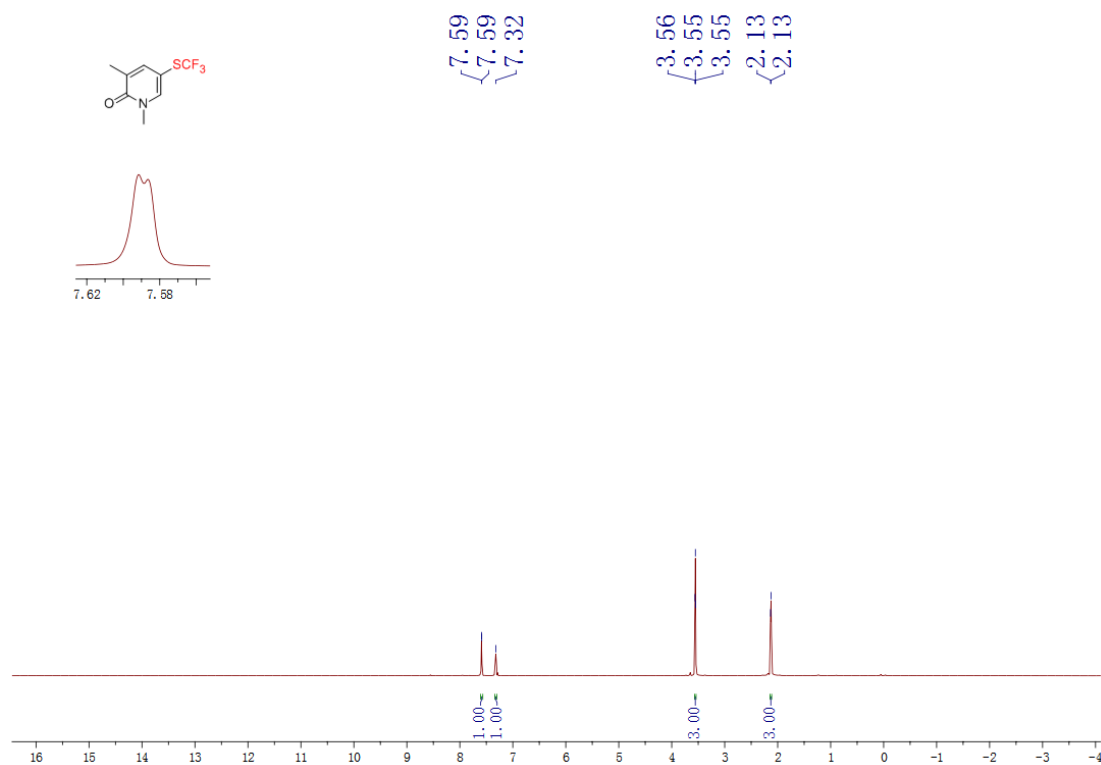
^{13}C NMR spectrum of **3aa** in CDCl_3



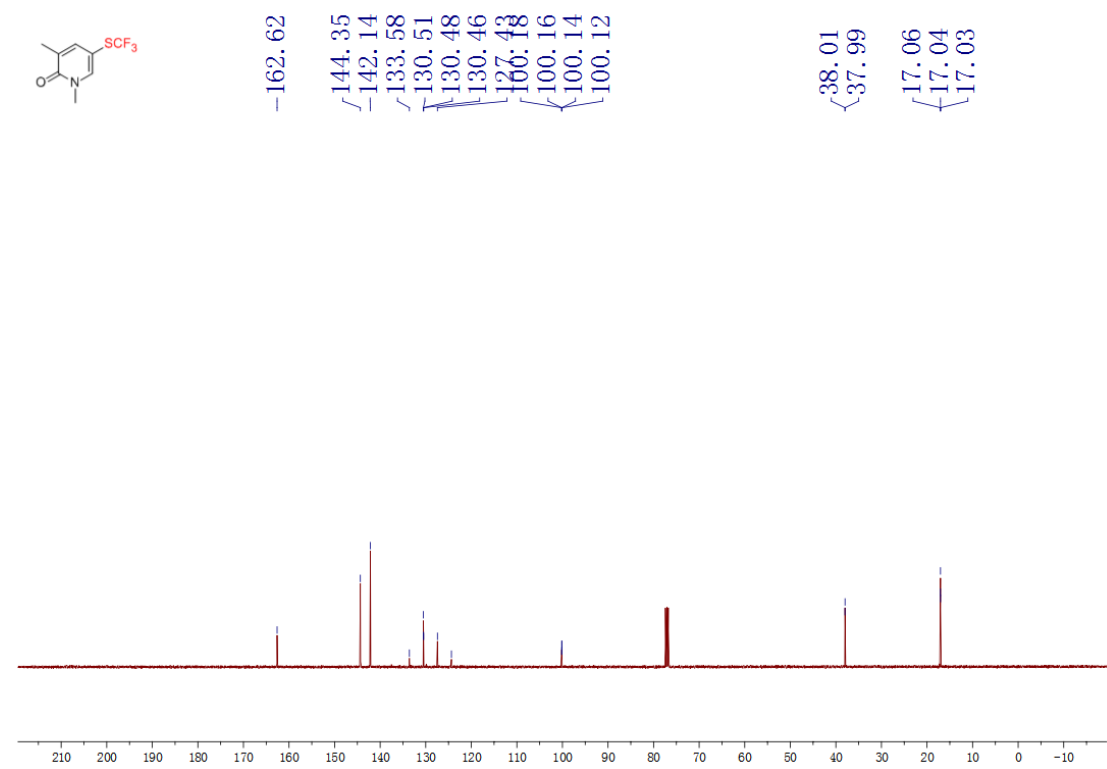
^{19}F NMR spectrum of **3ab** in CDCl_3



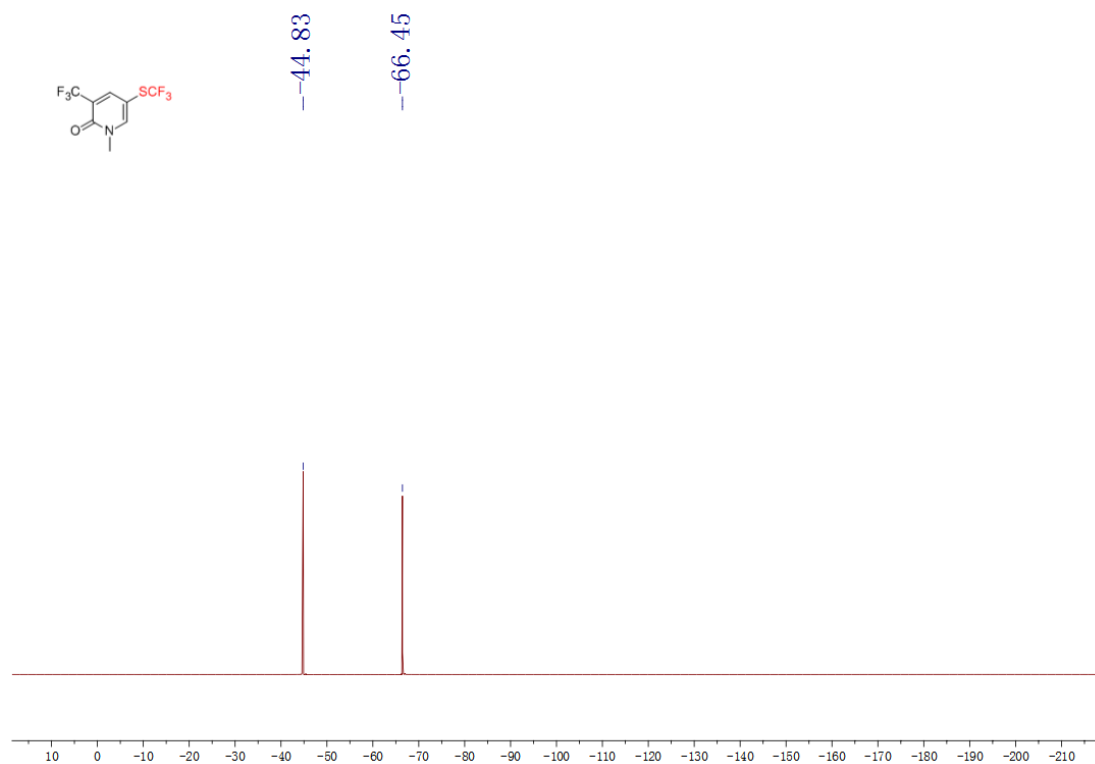
^1H NMR spectrum of **3ab** in CDCl_3



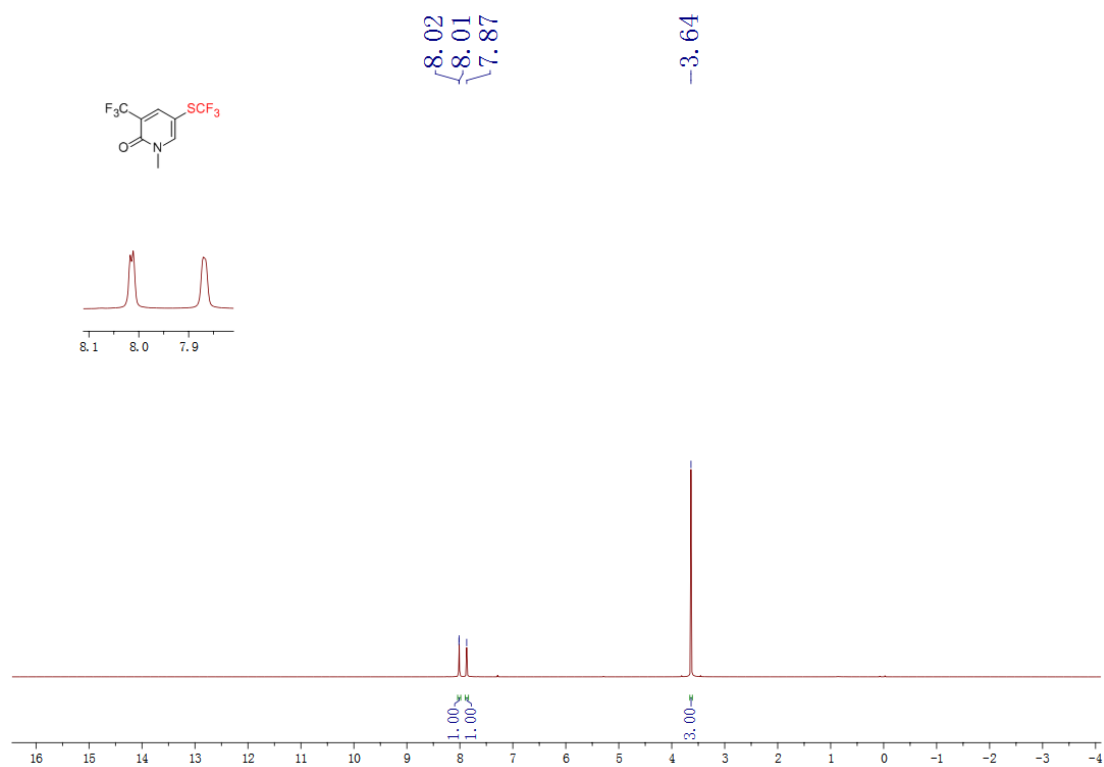
^{13}C NMR spectrum of **3ab** in CDCl_3



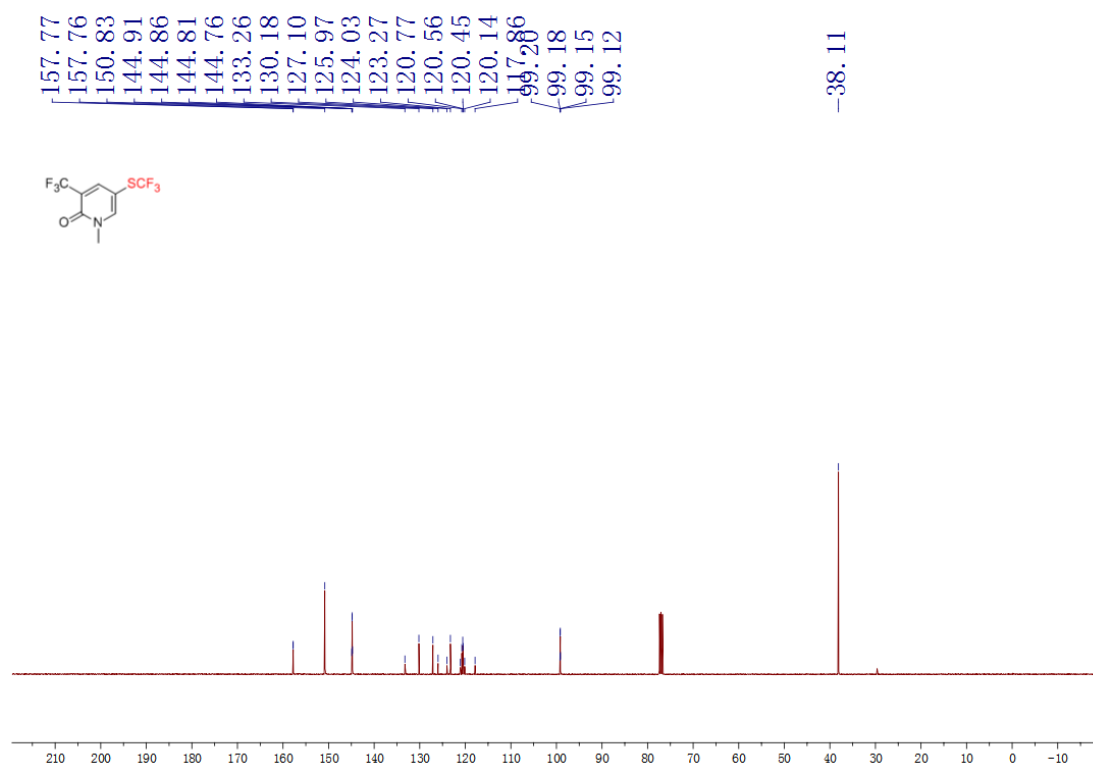
^{19}F NMR spectrum of **3ac** in CDCl_3



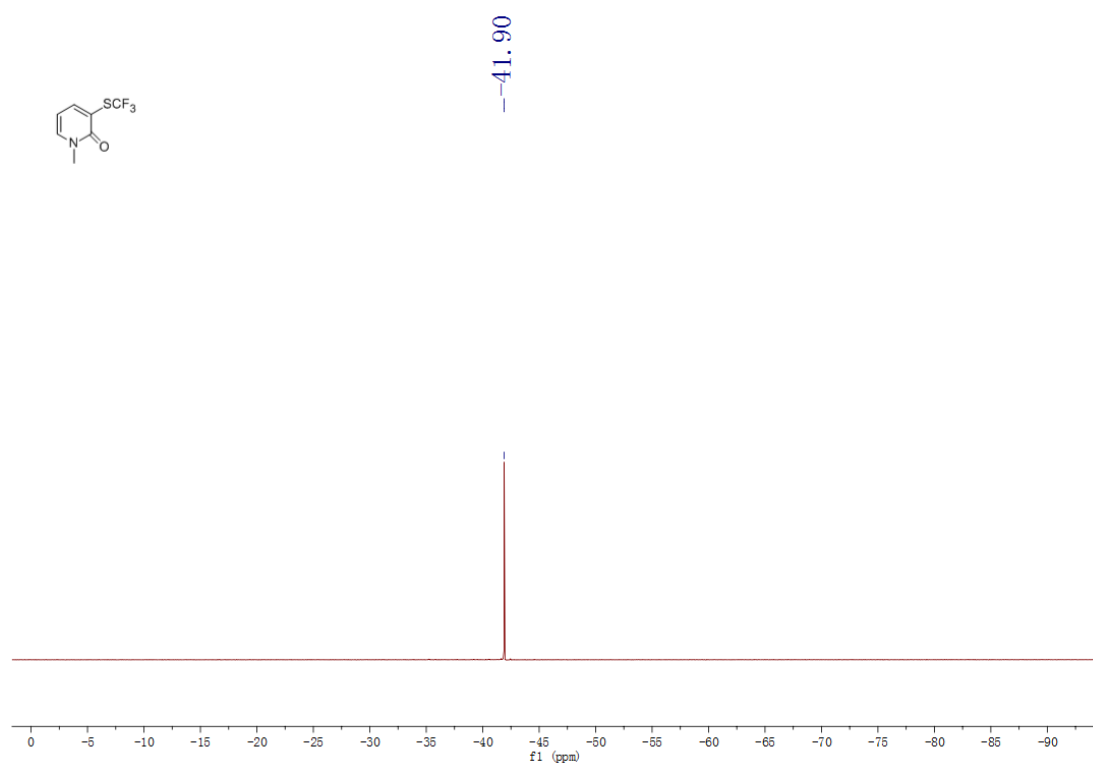
^1H NMR spectrum of **3ac** in CDCl_3



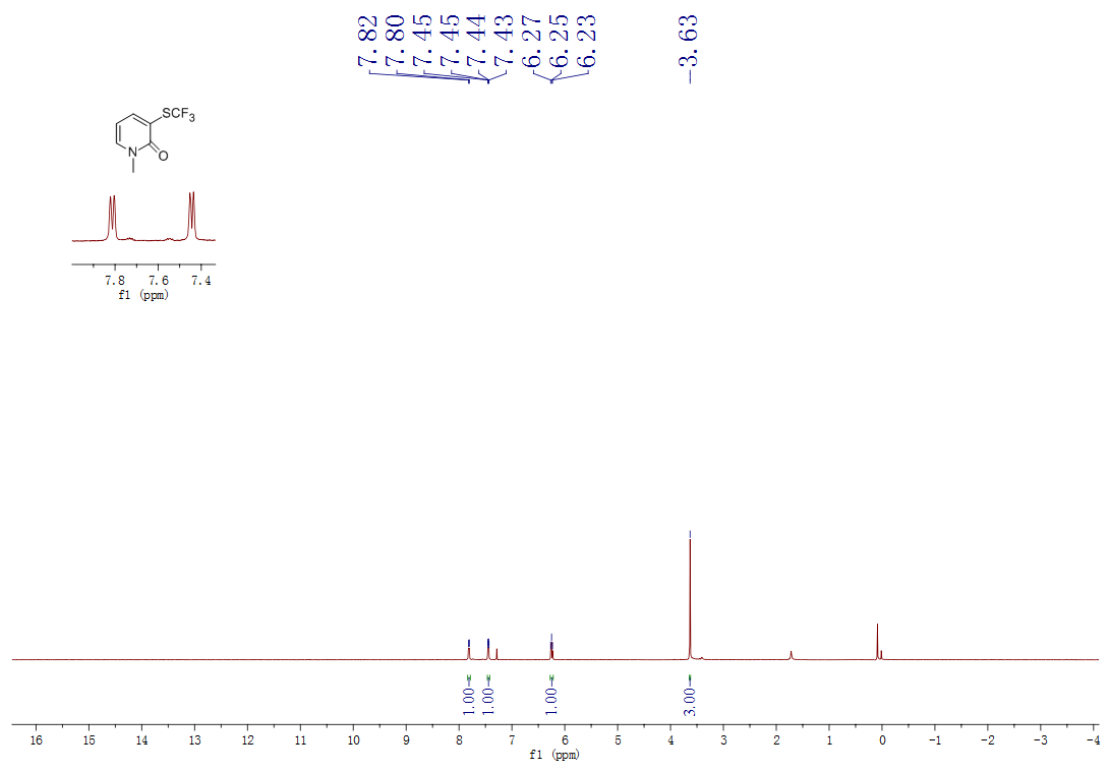
^{13}C NMR spectrum of **3ac** in CDCl_3



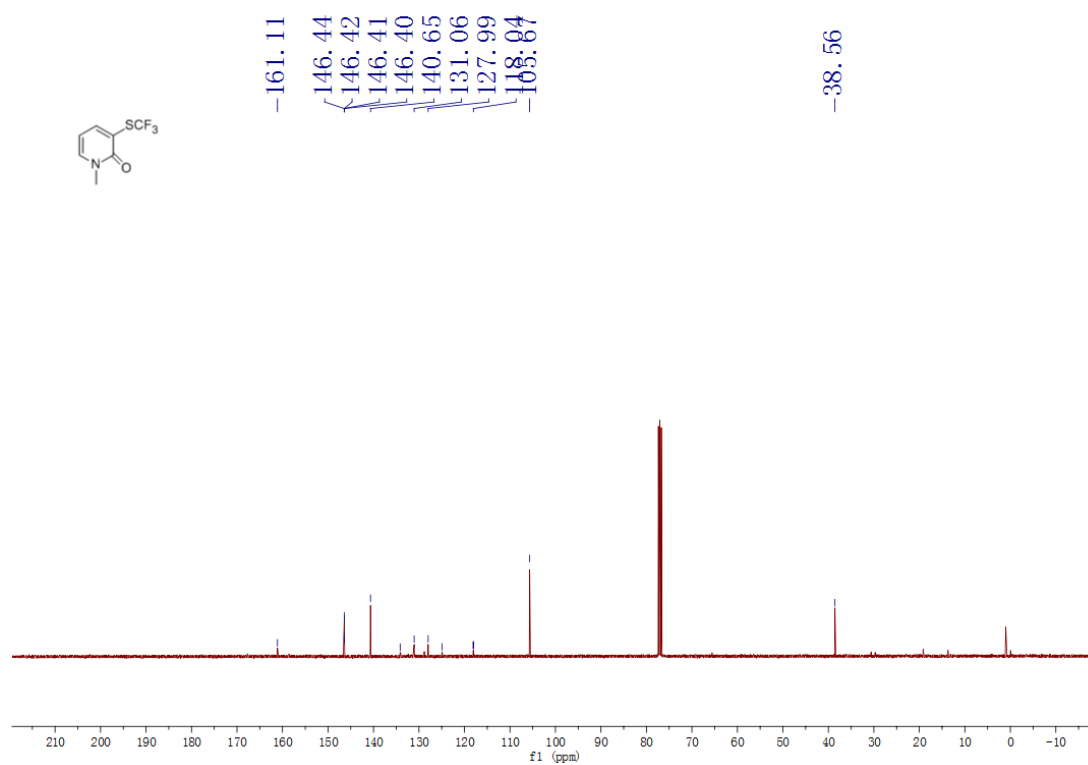
^{19}F NMR spectrum of **3ad** in CDCl_3



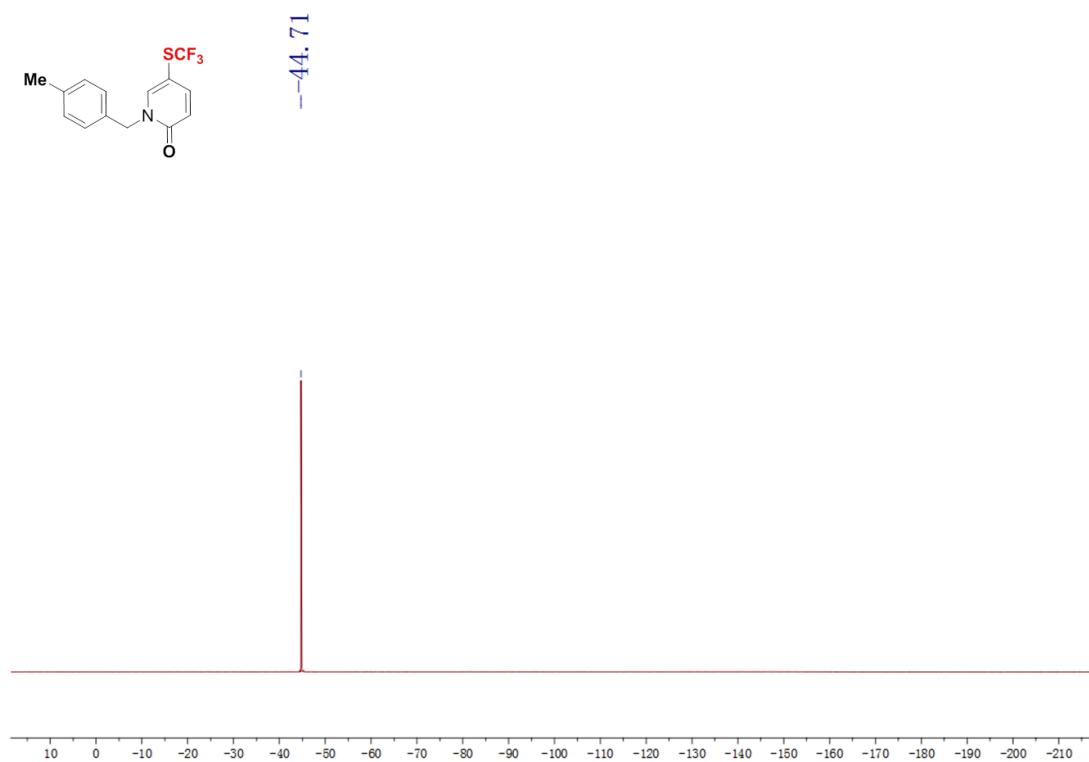
^1H NMR spectrum of **3ad** in CDCl_3



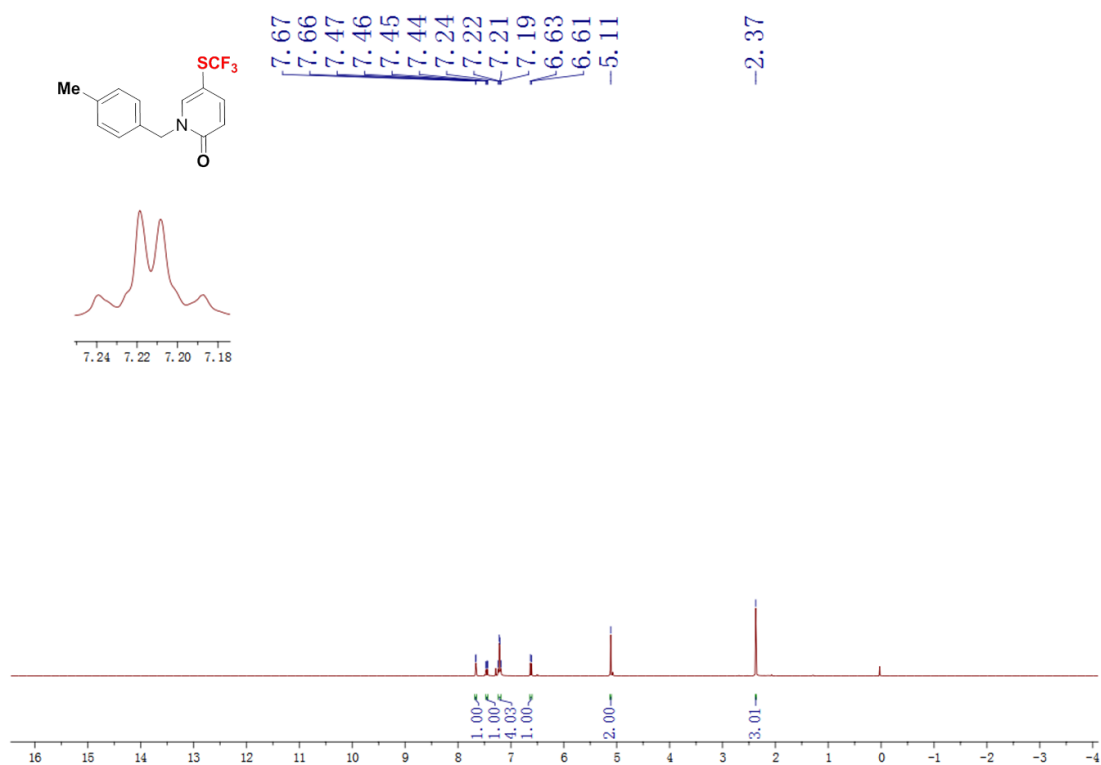
^{13}C NMR spectrum of **3ad** in CDCl_3



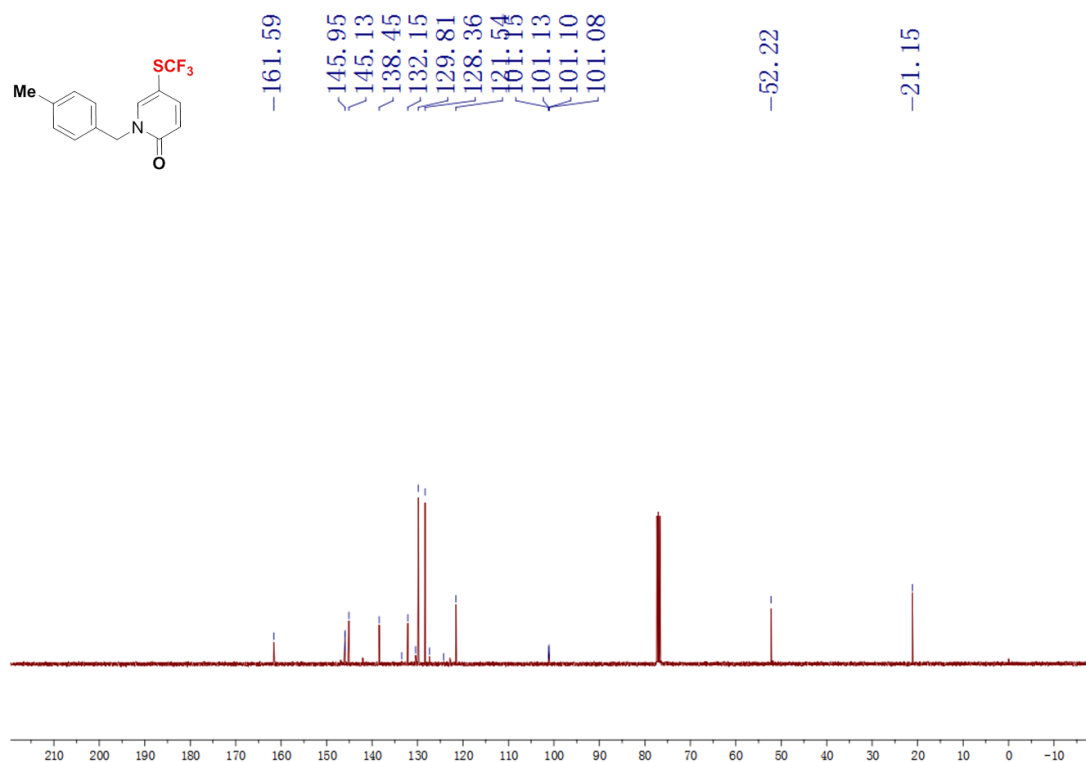
^{19}F NMR spectrum of **3ae** in CDCl_3



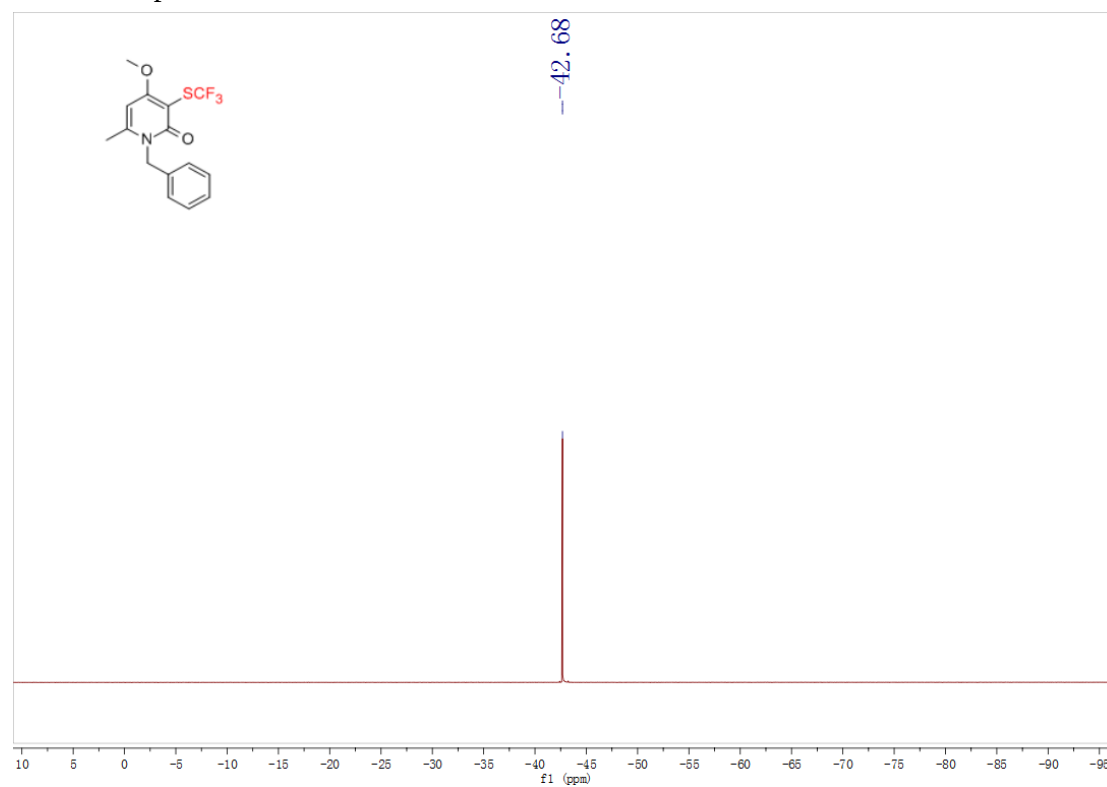
^1H NMR spectrum of **3ae** in CDCl_3



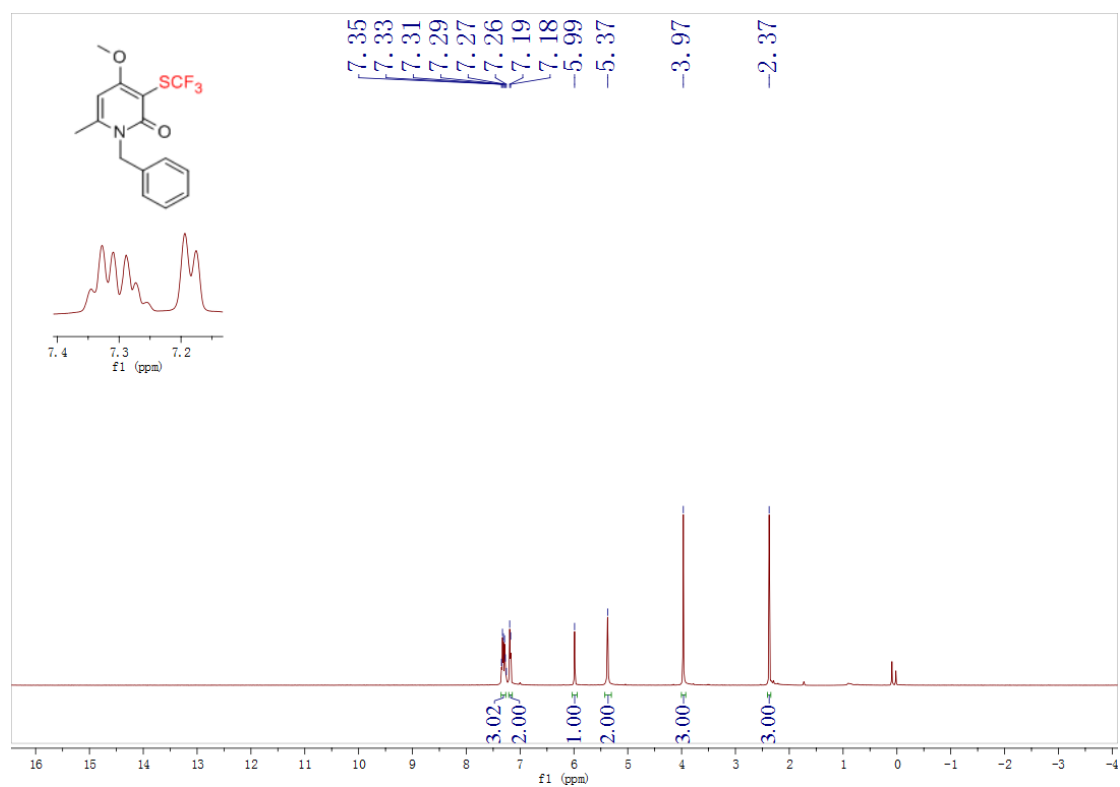
^{13}C NMR spectrum of **3ae** in CDCl_3



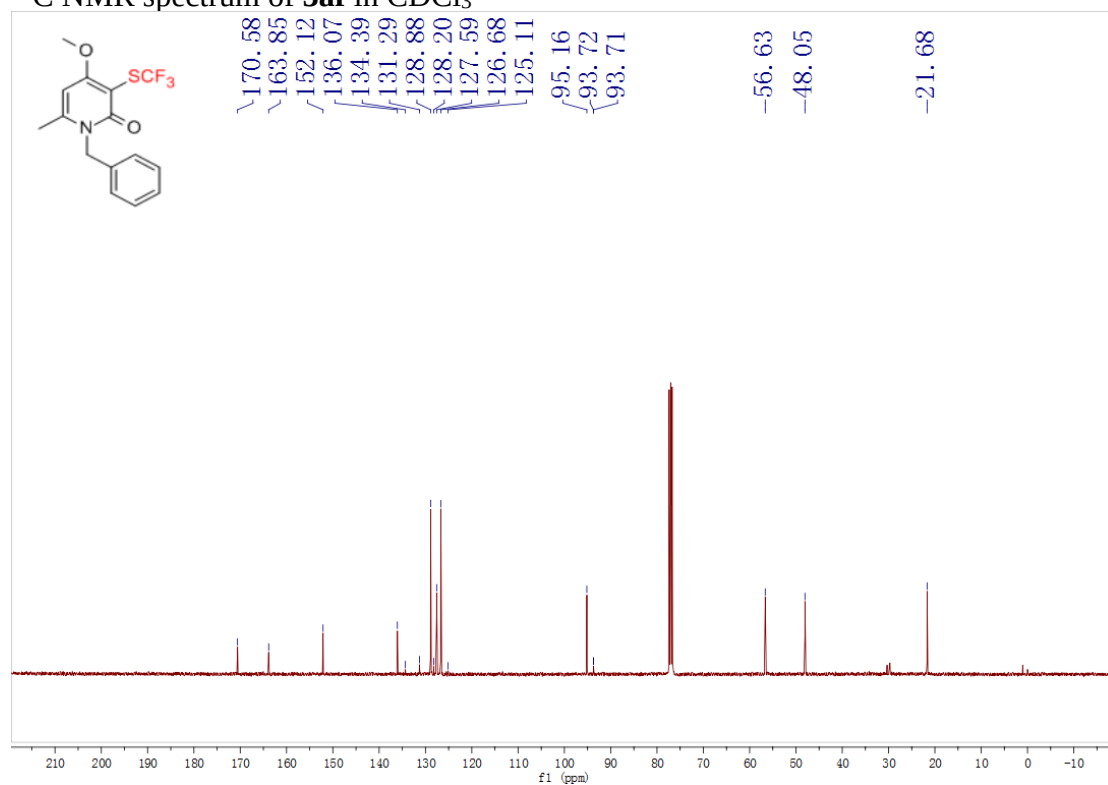
^{19}F NMR spectrum of **3af** in CDCl_3



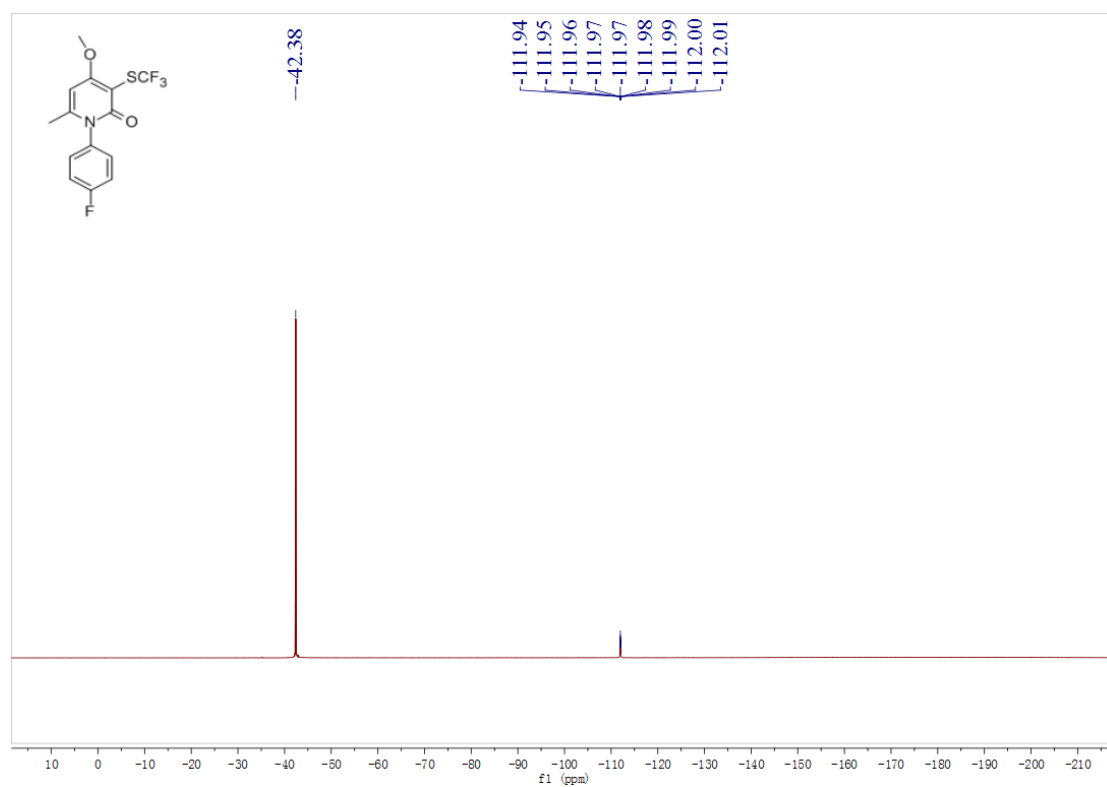
^1H NMR spectrum of **3af** in CDCl_3



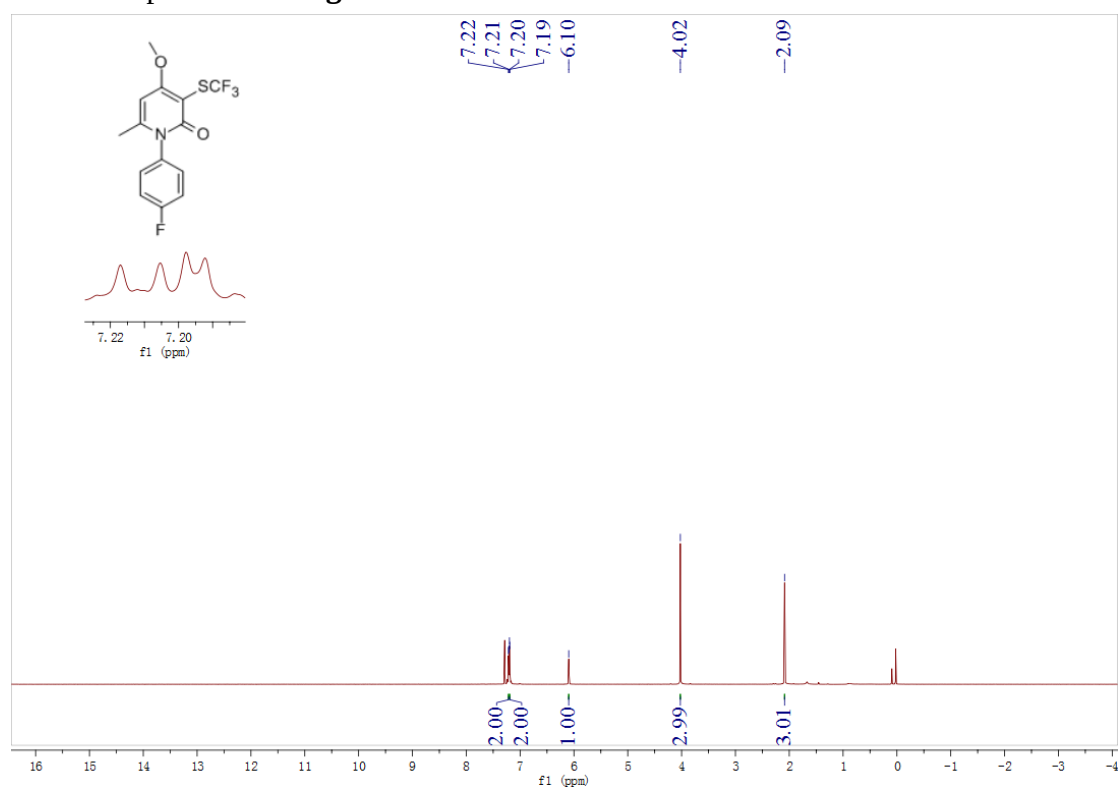
^{13}C NMR spectrum of **3af** in CDCl_3



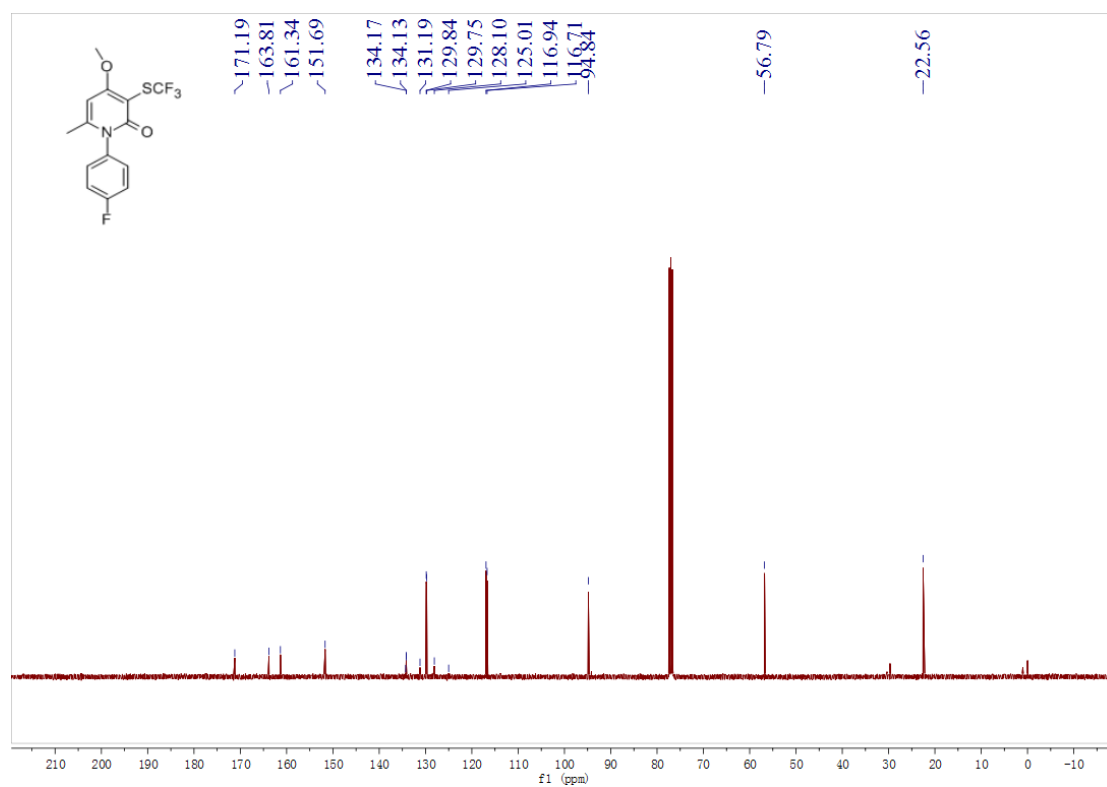
^{19}F NMR spectrum of **3ag** in CDCl_3



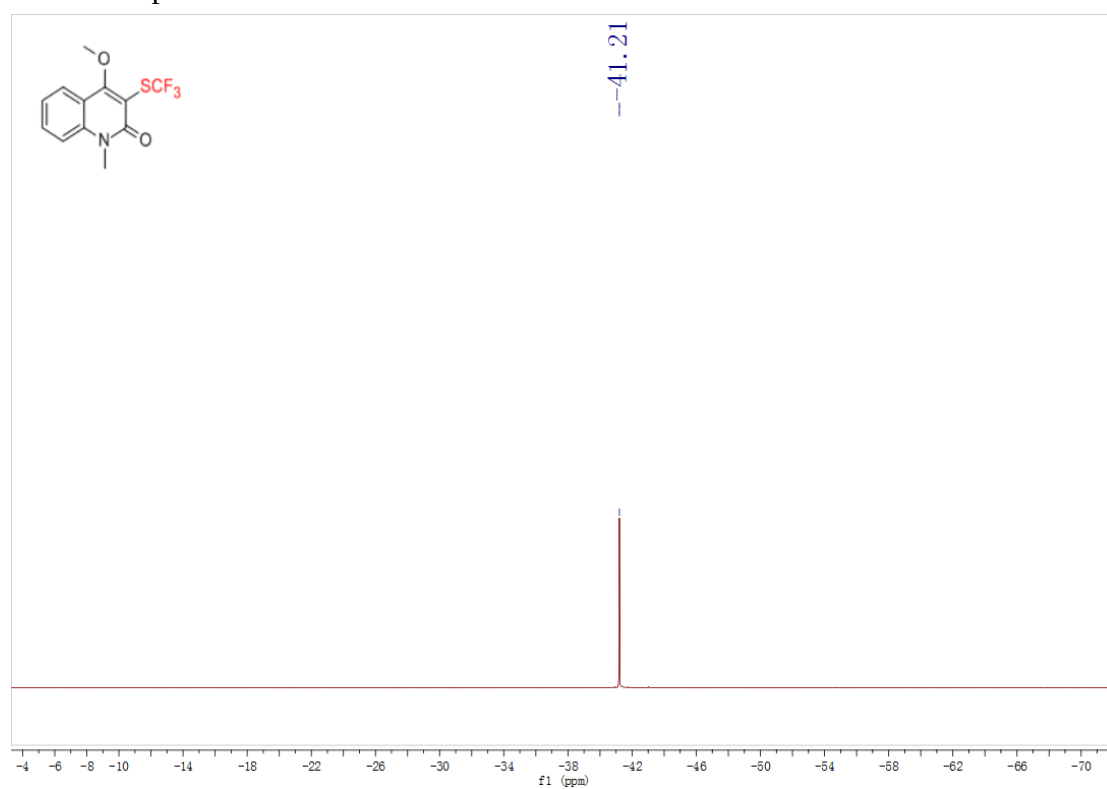
^1H NMR spectrum of **3ag** in CDCl_3



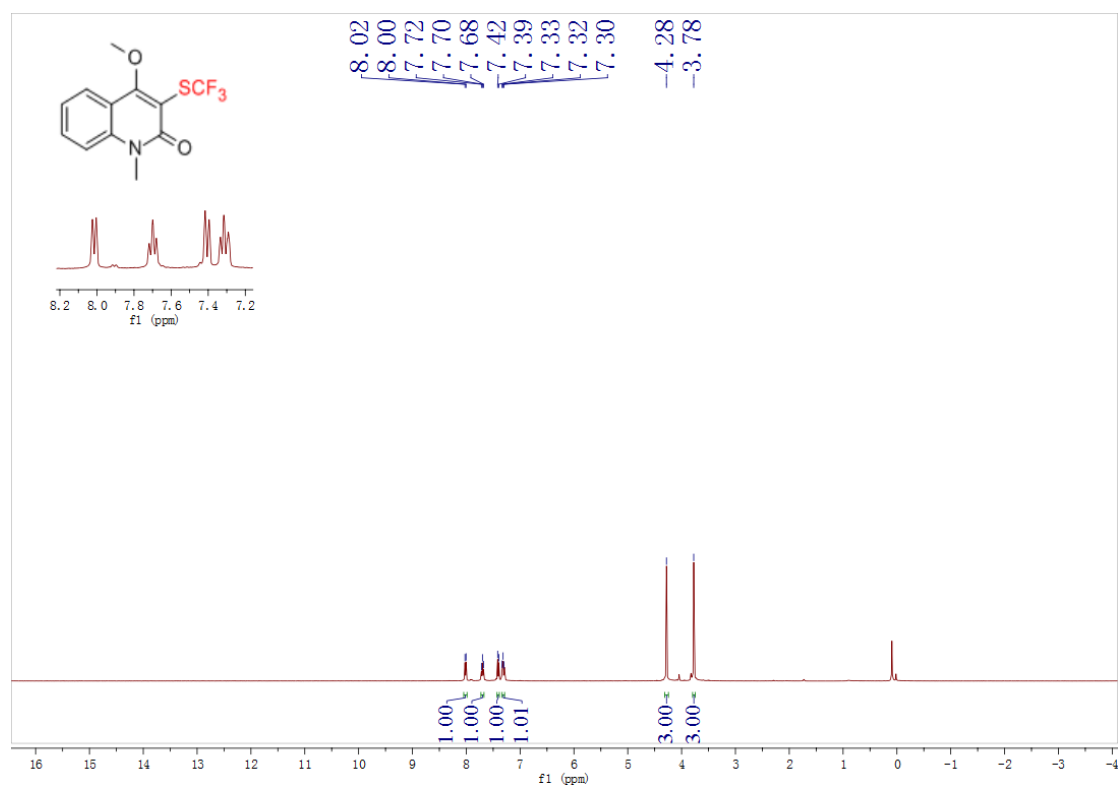
^{13}C NMR spectrum of **3ag** in CDCl_3



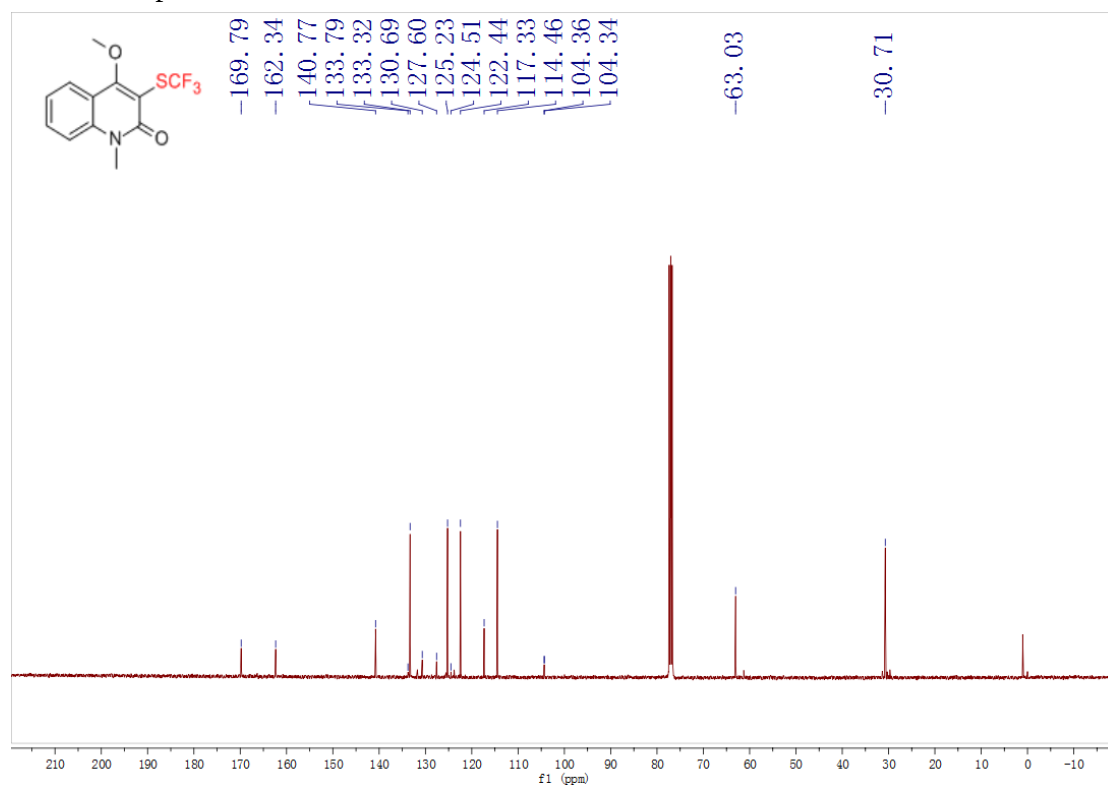
^{19}F NMR spectrum of **3ah** in CDCl_3



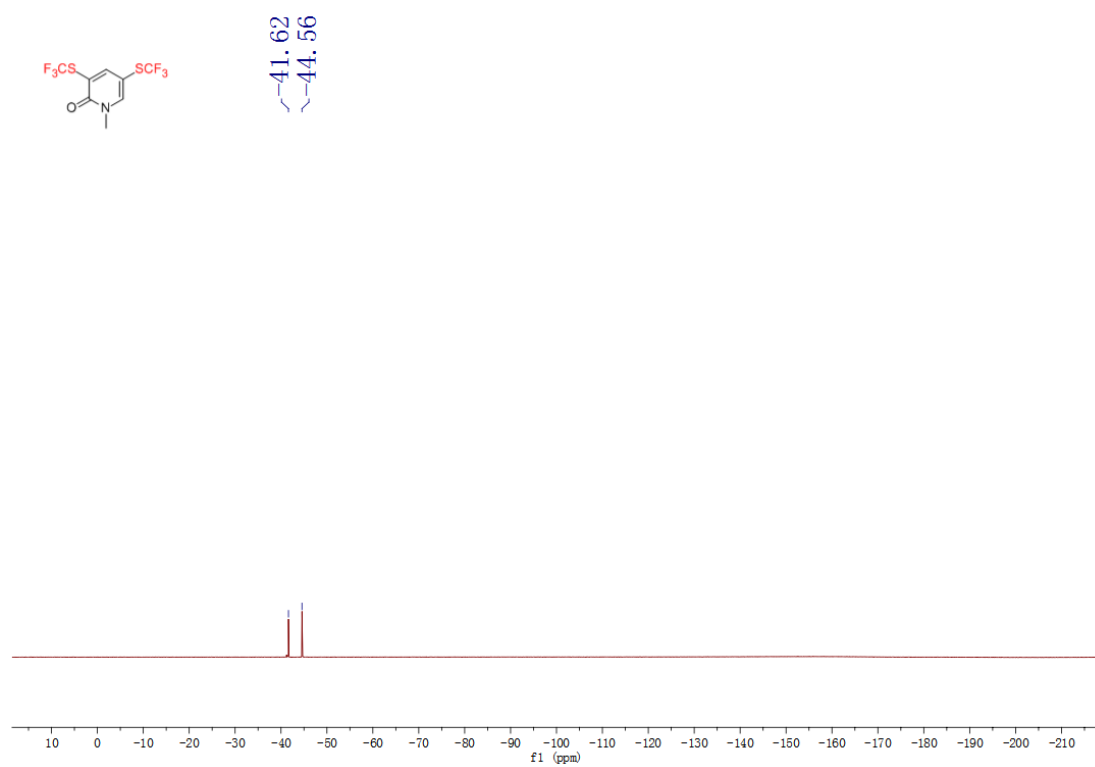
^1H NMR spectrum of **3ah** in CDCl_3



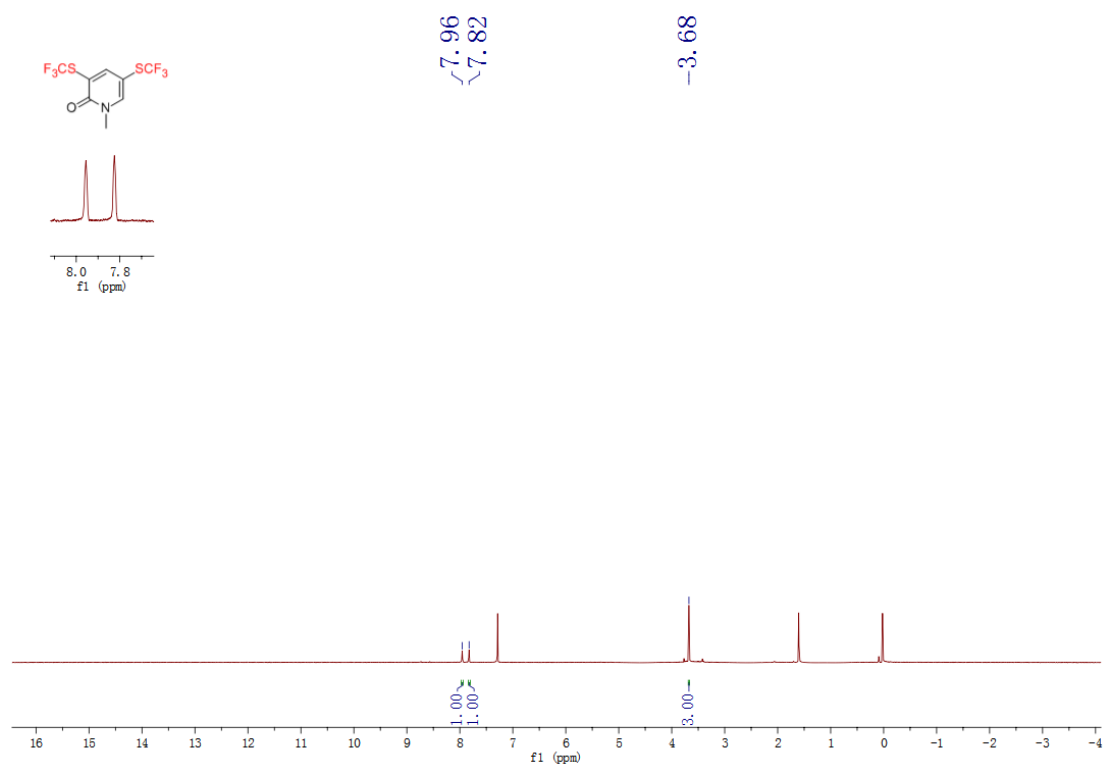
^{13}C NMR spectrum of **3ah** in CDCl_3



^{19}F NMR spectrum of **6** in CDCl_3



^1H NMR spectrum of **6** in CDCl_3



^{13}C NMR spectrum of **6** in CDCl_3

