Supporting Information

Preparation of oxazolines and oxazoles via a $PhI(OAc)_2$-promoted cyclization of *N*-propargylamides

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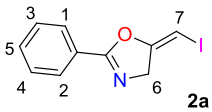
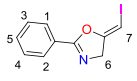
Contents

1. General information

Reagents were used as received without further purification unless otherwise indicated. Solvents were dried and distilled prior to use. Reactions were monitored with thin layer chromatography using silica gel GF_{254} plates. Organic solutions were concentrated in vacuo with a rotavapor. Flash column chromatography was performed using silica gel (200−300 meshes). Petroleum ether used had a boiling point range of 60−90 °C. Melting points were measured on a digital melting point apparatus without correction of the thermometer. Nuclear magnetic resonance spectra were recorded at ambient temperature (unless otherwise stated) at 400 MHz (100 MHz for ^{13}C) in $CDCl_3$. Chemical shifts were reported in ppm (δ) using TMS as internal standard, and spin−spin coupling constants (*J*) were given in Hz. Infrared (IR) spectra were recorded with KBr pellet, and wavenumbers were given in cm^{-1}. High resolution mass spectrometry (HRMS) analyses were carried out on an FTICR HR-ESI-MS.

2. Comparison of the 1H NMR of compound 2a with the literature report.

	 **2a** (*E*)-5-(iodomethylene)-2-phenyl-4,5-dihydrooxazole				 (*Z*)-5-(iodomethylene)-2-phenyl-4,5-dihydrooxazole
	this paper	*Chem. Eur. J.* **2010**, 16, 956 – 963	*Org. Chem. Front.*, **2015**, 2, 578–585	*ACS Catal.* **2017**, 7, 4093−4099	*Org. Chem. Front.*, **2015**, 2, 578–585
^{1}H NMR	400MHz, $CDCl_3$	300 MHz, CD_2Cl_2	400 MHz, $CDCl_3$	500 MHz, $CDCl_3$	300 MHz, DMSO-d_6
H_1, H_2	7.98-7.94 (m, 2H)	7.92-7.99 (m, 2 H)	7.96 (d, *J* =7.5 Hz, 2H)	8.01-7.89 (m, 2H)	7.89-7.86 (m, 2H)
H_3, H_4,	7.45-7.56	7.42-7.58 (m,	7.55–7.33 (m,	7.55-7.44 (m,	7.62-7.57 (m, 1H), 7.54-7.49

H_5	(m, 3H)	3 H)	3H)	3H)	(m, 2H)
H_6	4.62 (d, *J* = 3.2 Hz, 2H).	4.62 (dd, *J* = 3.2 Hz, *J* = 0.4 Hz, 2 H)	4.63 (d, *J* = 3.1 Hz, 2H)	4.63 (d, *J* = 3.2 Hz, 2H).	4.67 (d, *J* = 2.4 Hz, 2H)
H_7	5.78 (t, *J* = 3.2 Hz, 1H)	5.79(tt, *J* = 3.2 Hz, *J* = 0.4 Hz, 1 H)	5.77 (t, *J* = 2.8 Hz, 1H)	5.78 (t, *J* = 3.2 Hz, 1H)	5.44 (t, *J*= 2.4 Hz, 1H)

3. General procedure for the preparation of N-propargylamides.

N-propargylamide substrates were prepared by coupling of carboxylic acids and propargylamines according to the literature.[1-4]

4. General procedure for the preparation of (*E*)-5-iodomethylene-2-oxazolines.

A flame-dried Schlenk flask was charged with 0.50 mmol N-propargylamide, 0.50 mmol $PhI(OAc)_2$, 0.50 mmol LiI and 2 mL of CH_2Cl_2. The reaction mixture was stirred at room temperature until complete disappearance of the starting material as shown by TLC (usually 12 h). CH_2Cl_2 (10 mL) was then added, and the mixture was washed with aqueous $Na_2S_2O_3$. The organic layer was dried over Na_2SO_4 and concentrated to give crude residue, which was purified by flash column chromatography to give the corresponding products.

(*E*)-5-(Iodomethylene)-2-phenyl-4,5-dihydrooxazole (**2a**). Compound **2a** was prepared according to the general procedure and isolated as a yellow solid (130 mg, 91% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 107–108 ℃ (ref,[4] mp = 104 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.98 – 7.94 (m, 2H), 7.56 – 7.51 (m, 1H), 7.47 – 7.43 (m, 2H), 5.78 (t, *J* = 3.2 Hz, 1H), 4.62 (d, *J* = 3.2 Hz,

2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 162.9, 156.9, 131.1, 127.6, 127.0, 125.4, 60.2, 46.2. Spectral data are in agreement with literature values.[3]

(*E*)-2-(2-Chlorophenyl)-5-(iodomethylene)-4,5-dihydrooxazole (2b). Compound **2b** was prepared according to the general procedure and isolated as a white solid (140 mg, 88% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 77–78 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.80 (d, *J* = 7.6 Hz, 1H), 7.49 (dd, *J* = 7.9, 4.1 Hz, 1H), 7.43 (dd, *J* = 12.9, 7.0 Hz, 1H), 7.34 (dd, *J* = 12.4, 5.4 Hz, 1H), 5.78 (t, *J* = 3.1 Hz, 1H),, 4.69 (d, *J* = 3.1 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 161.1, 156.3, 132.6, 131.3, 130.3, 130.1, 125.7, 124.9, 60.6, 46.5. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-2-(*o*-tolyl)-4,5-dihydrooxazole (2c). Compound **2c** was prepared according to the general procedure and isolated as yellow oil (135 mg, 90% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.84 (d, *J* = 7.8 Hz, 1H), 7.41 (t, *J* = 7.0 Hz, 1H), 7.30 – 7.26 (m, 2H), 5.76 (t, *J* = 3.2 Hz, 1H), 4.69 (d, *J* = 3.2 Hz, 2H), 2.63 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 163.0, 156.5, 138.3, 130.5, 130.3, 128.7, 124.8, 124.6, 60.6, 45.7, 20.9. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-2-(*m*-tolyl)-4,5-dihydrooxazole (2d). Compound **2d** was prepared according to the general procedure and isolated as a yellow solid (139 mg, 93% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 86–88 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.78 (s, 1H), 7.75 (dd, *J* = 6.2, 2.6 Hz, 1H), 7.35 – 7.31 (m, 2H), 5.76 (t, *J* = 3.2 Hz, 1H), 4.61 (d, *J* = 3.2 Hz, 2H), 2.39 (s, 3H). ^{13}C

NMR (100 MHz, $CDCl_3$): δ/ppm= 163.1, 157.0, 137.4, 131.9, 127.47, 127.48, 125.3, 124.1, 60.1, 46.1, 20.3. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-2-(p-tolyl)-4,5-dihydrooxazole (2e). Compound **2e** was prepared according to the general procedure and isolated as a yellow solid (133 mg, 89% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 97–99 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.87 (d, *J* = 6.3 Hz, 2H), 7.27 (d, *J* = 6.3 Hz, 2H), 5.78 (t, *J* = 3.2 Hz, 1H), 4.63 (d, *J* = 3.2 Hz, 2H), 2.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 163.2, 156.9, 141.8, 128.4, 127.0, 122.5, 60.0, 46.1, 20.7. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-2-(4-methoxyphenyl)-4,5-dihydrooxazole (2f). Compound **2f** was prepared according to the general procedure and isolated as a yellow solid (139 mg, 88% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 137–139 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.89 (d, *J* = 8.8 Hz, 2H), 6.93 (d, *J* = 8.8 Hz, 2H), 5.73 (t, *J* = 3.1 Hz, 1H), 4.58 (d, *J* = 3.1 Hz, 2H), 3.85 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 162.7, 161.6, 157.1, 128.8, 117.8, 113.0, 60.1, 54.4, 45.8. Spectral data are in agreement with literature values.[1]

(*E*)-2-(4-Fluorophenyl)-5-(iodomethylene)-4,5-dihydrooxazole (2g). Compound **2g** was prepared according to the general procedure and isolated as a white solid (133 mg, 88% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 112–113 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.97 (d, *J* = 4.1 Hz, 2H), 7.13 (dd, *J* = 12.1, 5.2 Hz, 2H), 5.78 (t, *J* = 3.2 Hz, 1H), 4.61 (d, *J* = 3.2 Hz, 2H). ^{19}F NMR (376 MHz, $CDCl_3$) δ/ppm=106.5. ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 165.1 (d, $J_{C\text{-}F}$ =

253.5 Hz), 163.0, 157.9, 130.4 (d, J_{C-F} = 8.1 Hz), 122.78, 115.9 (d, J_{C-F} = 22.1 Hz), 61.2, 47.4. Spectral data are in agreement with literature values.[3]

(*E*)-2-(4-Bromophenyl)-5-(iodomethylene)-4,5-dihydrooxazole (2h). Compound **2h** was prepared according to the general procedure and isolated as a white solid (147 mg, 81% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 115–117 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.80 (d, *J* = 8.6 Hz, 2H), 7.58 (d, *J* = 8.6 Hz, 2H), 5.77 (t, *J* = 3.2 Hz, 1H), 4.59 (d, *J* = 3.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 162.1, 156.7, 130.9, 128.4, 125.9, 124.4, 60.2, 46.5. Spectral data are in agreement with literature values.[3]

(*E*)-2-(4-Chlorophenyl)-5-(iodomethylene)-4,5-dihydrooxazole (2i). Compound **2i** was prepared according to the general procedure and isolated as a white solid (126 mg, 79% yield) after flash chromatography (petroleum ether/ethyl acetate = 50/1); mp = 96–98 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.89 (d, *J* = 8.6 Hz, 2H), 7.43 (d, *J* = 8.6 Hz, 2H), 5.78 (t, *J* = 3.2 Hz, 1H), 4.61 (d, *J* = 3.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 163.1, 157.8, 138.4, 129.3, 129.0, 125.0, 61.3, 47.5. IR (KBr): 3085, 1674, 1649, 1593, 1486, 1321, 1083, 915, 832, 743 cm^{-1}. HRMS–ESI: calc. for $[C_{10}H_7ClINO+H]^+$: m/z = 319.9339, found: 319.9336.

(*E*)-5-(Iodomethylene)-2-(4-nitrophenyl)-4,5-dihydrooxazole (2j). Compound **2j** was prepared according to the general procedure and isolated as a yellow solid (101 mg, 61% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 141–142 ℃, (ref,[5] mp = 125 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.31 (d, *J* = 8.9 Hz, 2H), 8.15 (d, *J* = 8.9 Hz, 2H), 5.86 (t, *J* = 3.2 Hz, 1H), 4.67 (d, *J* = 3.2 Hz, 2H). ^{13}C

NMR (100 MHz, $CDCl_3$): δ/ppm= 161.2, 156.2, 148.9, 131.2, 128.1, 122.8, 60.3, 47.4. Spectral data are in agreement with literature values.[5]

(*E*)-4-(5-(Iodomethylene)-4,5-dihydrooxazol-2-yl)benzonitrile (2k). Compound **2k** was prepared according to the general procedure and isolated as a white solid (112 mg, 72% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 124–126 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.06 (d, *J* = 8.3 Hz, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 5.83 (t, *J* = 3.1 Hz, 1H), 4.65 (d, *J* = 3.1 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 161.4, 156.2, 131.4, 129.6, 127.5, 117.0, 114.5, 60.3, 47.2. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-2-(naphthalen-1-yl)-4,5-dihydrooxazole (2l). Compound **2l** was prepared according to the general procedure and isolated as a white solid (142 mg, 85% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 97–99 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.16 (d, *J* = 8.6 Hz, 1H), 8.12 (d, *J* = 7.3 Hz, 1H), 8.01 (d, *J* = 8.2 Hz, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.64 (dd, *J* = 8.3, 7.1 Hz, 1H), 7.59 – 7.48 (m, 2H), 5.80 (t, *J* = 3.1 Hz, 1H), 4.80 (d, *J* = 3.1 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 163.5, 157.3, 133.8, 132.8, 130.9, 129.3, 128.7, 127.8, 126.4, 126.1, 124.6, 122.9, 62.1, 46.8. IR (KBr): 3081, 1666, 1640, 1509, 1304, 1253, 1093, 962, 810, 737, 550 cm^{-1}. HRMS–ESI: calc. for $[C_{14}H_{10}INO+H]^+$: m/z = 335.9885, found: 335.9886.

(*E*)-5-(Iodomethylene)-2-(2-thienyl)-4,5-dihydrooxazole (2m). Compound **2m** was prepared according to the general procedure and isolated as a yellow solid (95 mg, 65% yield) after flash chromatography (petroleum ether/ethyl acetate = 10/1); mp = 116–

118 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.59 (d, *J* = 1.5 Hz, 1H), 7.04 (d, *J* = 3.5 Hz, 1H), 6.52 (dd, *J* = 3.5, 1.6 Hz, 1H), 5.77 (t, *J* = 3.2 Hz, 1H), 4.61 (d, *J* = 3.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 156.1, 155.1, 145.1, 140.6, 114.5, 110.8, 59.9, 46.6. Spectral data are in agreement with literature values.[3]

(*E*)-2-(Furan-2-yl)-5-(iodomethylene)-4,5-dihydrooxazole (2n). Compound **2n** was prepared according to the general procedure and isolated as a white solid (106 mg, 77% yield) after flash chromatography (petroleum ether/ethyl acetate = 8/1); mp = 117–118 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.58 (d, *J* = 1.5 Hz, 1H), 7.02 (d, *J* = 3.5 Hz, 1H), 6.51 (dd, *J* = 3.5, 1.6 Hz, 1H), 5.76 (t, *J* = 3.2 Hz, 1H), 4.59 (d, *J* = 3.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 156.1, 155.1, 145.1, 140.6, 114.4, 110.8, 59.9, 46.6. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-2-(1H-pyrrol-2-yl)-4,5-dihydrooxazole (2o). Compound **2o** was prepared according to the general procedure and isolated as a white solid (96 mg, 70% yield) after flash chromatography (petroleum ether/ethyl acetate = 10/1); mp = 146–147 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.91 (s, 1H), 7.0 (d, *J* = 2.5 Hz, 1H), 6.84 (s, 1H), 6.29 (dd, *J* = 6.0, 2.5 Hz, 1H), 5.77 (t, *J* = 3.1 Hz, 1H), 4.57 (d, *J* = 3.1 Hz, 2H).^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 157.7, 156.3, 122.4, 117.5, 113.2, 109.4, 59.0, 46.3. IR (KBr): 3165, 3078, 1679, 1647, 1452, 1341, 1128, 1007, 947, 738, 603, 489 cm^{-1}. HRMS–ESI: calc. for $[C_8H_7IN_2O+H]^+$: m/z = 274.9681, found: 274.9679.

(*E*)-5-(Iodomethylene)-2-(pyridin-3-yl)-4,5-dihydrooxazole (2p). Compound **2p** *was* prepared according to the general procedure and isolated as a yellow solid (103 mg, 72% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1); mp =

115–117 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.15 (d, *J* = 1.5 Hz, 1H), 8.75 (dd, *J* = 4.8, 1.5 Hz, 1H), 8.22 (dt, *J* = 7.9, 1.9 Hz, 1H), 7.39 (dd, *J* = 7.9, 4.8 Hz, 1H), 5.83 (t, *J* = 3.2 Hz, 1H), 4.63 (d, *J* = 3.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 161.0, 156.3, 151.6, 148.1, 134.3, 122.4, 121.9, 60.1, 47.0. IR (KBr): 3054, 2927, 1678, 1650, 1414, 1337, 1235, 1074, 927, 871, 804, 701 cm^{-1}. HRMS–ESI: calc. for $[C_9H_7IN_2O+H]^+$: m/z = 286.9681, found: 286.9673.

(*E*)-Cyclopenta-2,4-dien-1-yl(2-(5-(iodomethylene)-4,5-dihydrooxazol-2-yl)cyclopenta-2,4-dien-1-yl)iron (2q). Compound **2q** was prepared according to the general procedure and isolated as a brown solid (163 mg, 83% yield) after flash chromatography (petroleum ether/ethyl acetate = 12/1); mp = 141–142 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 5.70 (t, *J* = 3.1 Hz, 1H), 4.83 – 4.73 (m, 2H), 4.45 (d, *J* = 3.1 Hz, 2H), 4.42 – 4.37 (m, 2H), 4.21 (s, 4H), 1.67 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 166.8, 158.1, 70.9, 69.9, 68.8, 61.1, 46.5. IR (KBr): 3054, 1678, 1648, 1467, 1303, 1105, 929, 772, 507 cm^{-1}. HRMS–ESI: calc. for $[C_{14}H_{11}FeINO+H]^+$: m/z = 392.9313, found: 392.9316.

(*E*)-5-(Iodomethylene)-2-((*E*)-styryl)-4,5-dihydrooxazole (2r). Compound **2r** was prepared according to the general procedure and isolated as a white solid (129 mg, 83% yield) after flash chromatography (petroleum ether/ethyl acetate = 15/1); mp = 122–124 ℃ (ref,[4] mp = 118 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.50 (dd, *J* = 7.4, 2.1 Hz, 2H), 7.43 (d, *J* = 16.3 Hz, 1H), 7.40 – 7.36 (m, 3H), 6.59 (d, *J* = 16.3 Hz, 1H), 5.71 (t, *J* = 3.1 Hz, 1H), 4.54 (d, *J* = 3.1 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm=

162.6, 156.6, 140.2, 133.6, 129.0, 127.9, 126.6, 112.8, 60.2, 45.8. Spectral data are in agreement with literature values.[3]

(*E*)-5-(Iodomethylene)-4,4-dimethyl-2-phenyl-4,5-dihydrooxazole (2s). Compound **2s** was prepared according to the general procedure and isolated as an oil (142 mg, 91% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.96 – 7.92 (m, 2H), 7.52 – 7.49 (m, 1H), 7.45 – 7.41 (m, 2H), 5.76 (s, 1H), 1.69 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 162.3, 157.5, 130.8, 127.5, 127.1, 125.1, 70.3, 44.4, 24.8. Spectral data are in agreement with literature values.[3]

(*E*)-2-Cyclohexyl-5-(iodomethylene)-4,5-dihydrooxazole (2t). Compound **2t** was prepared according to the general procedure and isolated as a yellow solid (116 mg, 80% yield) after flash chromatography (petroleum ether/ethyl acetate = 30/1); mp = 41–42 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 5.57 (t, *J* = 3.1 Hz, 1H), 4.37 (dd, *J* = 3.1, 1.3 Hz, 2H), 2.38 – 2.29 (m, 1H), 1.94 (dd, *J* = 13.2, 2.3 Hz, 2H), 1.78 (dd, *J* = 12.6, 3.5 Hz, 2H), 1.51 – 1.38 (m, 2H), 1.35 – 1.19 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 169.3, 156.7, 59.0, 44.6, 36.0, 27.7, 24.1, 23.8. IR (KBr): 3077, 2928, 2852, 1681, 1648, 1444, 1383, 1163, 1090, 934, 873, 736, 627, 470 cm^{-1}. HRMS–ESI: calc. for $[C_{10}H_{14}INO+H]^{+}$: m/z = 292.0198, found: 292.0185.

(*E*)-2-Benzyl-5-(iodomethylene)-4,5-dihydrooxazole (2u). Compound **2u** was prepared according to the general procedure and isolated as a yellow solid (117 mg, 78% yield) after flash chromatography (petroleum ether/ethyl acetate = 20/1); mp = 68–70 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.29 – 7.19 (m, 5H), 5.54 (t, *J* = 3.1 Hz,

1H), 4.34 (d, *J* = 3.1, 1H), 3.61 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 164.8, 156.5, 132.2, 127.5, 127.3, 125.9, 59.2, 45.6, 33.5. IR (KBr): 3054, 1678, 1648, 1467, 1303, 1084, 929, 772, 507 cm^{-1}. HRMS–ESI: calc. for $[C_{11}H_{10}INO+H]^+$: m/z = 299.9885, found: 299.9880.

(*S*,*E*)-Tert-butyl(1-(5-(iodomethylene)-4,5-dihydrooxazol-2-yl)-2-phenylethyl)carbamate (2v). Compound **2v** was prepared according to the general procedure and isolated as a white solid (190 mg, 89% yield) after flash chromatography (petroleum ether/ethyl acetate = 12/1); mp = 117–118 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 7.36 – 7.27 (m, 3H), 7.16 (d, *J* = 6.8 Hz, 2H), 5.74 (t, *J* = 3.1 Hz, 1H), 5.06 (d, *J* = 7.6 Hz, 1H), 4.78 (d, *J* = 5.0 Hz, 1H), 4.40 (t, *J* = 3.1 Hz, 1H), 3.20 (dd, *J* = 13.5, 4.9 Hz, 1H), 3.07 (dd, *J* = 13.5, 5.6 Hz, 1H), 1.44 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 166.5, 157.8, 154.9, 135.6, 129.4, 128.6, 127.1, 80.1, 60.3, 49.9, 47.7, 38.4, 28.3. IR (KBr): 3414, 3343, 2978, 1692, 1524, 1304, 1171, 1019, 861, 753 cm^{-1}. HRMS–ESI: calc. for $[C_{17}H_{21}IN_2O_3+H]^+$: m/z = 429.0675, found: 429.0672.

(*S*,*E*)-Tert-butyl

(1-(5-(iodomethylene)-4,5-dihydrooxazol-2-yl)-2-methylpropyl)carbamate (2w). Compound **2w** was prepared according to the general procedure and isolated as a brown solid (158 mg, 83% yield) after flash chromatography (petroleum ether/ethyl acetate = 15/1); mp = 89–91 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 5.64 (t, *J* = 3.1 Hz, 1H), 5.09 (d, *J* = 9.0 Hz, 1H), 4.40 (d, *J* = 3.1 Hz, 2H), 4.34 (dd, *J* = 8.9, 5.2 Hz, 1H), 2.09 (td, *J* = 13.0, 6.5 Hz, 1H), 1.42 (s, 9H), 0.96 (d, *J* = 6.8 Hz, 3H), 0.90 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 165.3, 156.1, 153.7, 78.2, 58.5, 52.4, 45.7, 29.4,

26.6, 17.2, 15.7. IR (KBr): 3337, 2969, 2870, 1681, 1527, 1391, 1249, 1173, 1019, 664 cm^{-1}. HRMS–ESI: calc. for $[C1_3H_{21}IN_2O_3 +H]^+$: m/z =381.0675, found: 381.0671.

(*E*)-5-(Iodomethylene)-2-(2,3,6,7-tetramethoxyphenanthren-9-yl)-4,5-dihydrooxazole (2x). Compound **2x** was prepared according to the general procedure and isolated as a yellow solid (210 mg, 83% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1); mp = 153–155 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.97 (s, 1H), 8.30 (s, 1H), 7.80 (s, 1H), 7.76 (s, 1H), 5.80 (t, *J* = 3.1 Hz, 1H), 5.30 (s, 1H), 4.83 (d, *J* = 3.1 Hz, 2H), 4.14 (s, 3H), 4.13 (s, 3H), 4.06 (s, 3H), 4.04 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 162.8, 156.1, 150.2, 148.3, 148.1, 148.1, 128.0, 125.7, 124.1, 123.6, 122.5, 117.3, 108.1, 106.6, 101.8, 101.5, 61.2, 55.1, 55.0, 54.9, 45.3. IR (KBr): 2954, 2926, 1635, 1512, 1475, 1264, 1117, 937, 841, 783, 566 cm^{-1}. HRMS–ESI: calc. for $[C_{22}H_{20}INO_5+H]^+$: m/z = 506.0464, found: 506.0452.

(5*S*,*8R*,*9S*,*10S*,*13R*,*14S*,*17R*)-17-((*R*)-4-((*E*)-5-(Iodomethylene)-4,5-dihydrooxazol-2-yl)butan-2-yl)-10,13-dimethyldecahydro-1H-cyclopenta[a]phenanthrene-3,7,12(2H,4H,8H)-trione (2y). Compound **2y** was prepared according to the general procedure and isolated as a brown solid (220 mg, 78% yield) after flash chromatography (petroleum ether/ethyl acetate = 2/1); mp = 148–150 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 5.58 (t, *J* = 3.1 Hz, 1H), 4.37 (t, *J* = 3.1 Hz, 2H), 2.93 – 2.87 (m, 2H), 2.83 (dd, *J* = 16.1, 9.2 Hz, 2H), 2.34 – 2.30 (m, 2H), 2.29 – 2.26 (m, 2H), 2.24 – 2.21 (m, 2H), 2.15 – 2.10 (m, 2H), 2.05 – 2.01 (m, 2H), 1.98 – 1.95 (m, 1H), 1.93 (dd, *J* = 4.9, 2.9 Hz, 1H), 1.87 – 1.81 (m, 2H), 1.60 (td, *J* = 14.3, 5.0 Hz, 1H), 1.48 – 1.42 (m, 1H), 1.38 (s, 3H), 1.30 (dd, *J* = 7.9, 4.6 Hz, 2H), 1.27 – 1.22 (m, 2H), 1.06 (s, 3H), 0.86

(d, *J* = 6.7 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 210.2, 207.4, 207.1, 166.6, 156.6, 59.0, 55.2, 50.1, 47.3, 45.2, 44.8, 43.9, 43.9, 43.3, 41.1, 37.0, 34.8, 34.4, 34.0, 33.6, 29.4, 26.0, 23.9, 23.5, 20.3, 17.0, 10.2. IR (KBr): 3398, 2961, 2827, 1718, 1663, 1533, 1384, 1273, 1008, 916, 731, 619, 525 cm^{-1}. HRMS–ESI: calc. for $[C_{27}H_{36}INO_4+H]^+$: m/z = 566.1767, found: 566.1767.

(*3R,5aS,6R,8aS,9R,10R,12R,12aR*)-3,6,9-Trimethyldecahydro-3H-3,12-epoxy[1,2]dioxepino[4,3-i]isochromen-10-yl 3-((*E*)-5-(iodomethylene)-4,5-dihydrooxazol-2-yl)propanoate (2z). Compound **2z** was prepared according to the general procedure and isolated as an oil (211 mg, 77% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 5.78 (d, *J* = 9.9 Hz, 1H), 5.61 (t, *J* = 3.1 Hz, 1H), 5.42 (s, 1H), 4.36 (t, *J* = 3.1 Hz, 2H), 4.10 (q, *J* = 7.1 Hz, 1H), 2.78 (t, *J* = 6.7 Hz, 2H), 2.67 (dd, *J* = 15.7, 7.8 Hz, 2H), 2.36 (dd, *J* = 14.0, 10.1 Hz, 1H), 2.04 (d, *J* = 14.6 Hz, 2H), 1.90 – 1.85 (m, 1H), 1.77 – 1.69 (m, 2H), 1.60 (dt, *J* = 13.8, 4.3 Hz, 1H), 1.41 (s, 3H), 1.36 (dd, *J* = 13.5, 3.2 Hz, 1H), 1.26 (t, *J* = 3.1 Hz, 1H), 1.23 (d, *J* = 7.1 Hz, 2H), 0.95 (d, *J* = 5.9 Hz, 3H), 0.82 (d, *J* = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 169.6, 165.4, 157.0, 103.5, 91.3, 90.5, 79.1, 59.5, 50.6, 46.0, 44.3, 36.3, 35.2, 33.1, 30.8, 28.8, 25.0, 23.6, 22.3, 21.0, 19.2, 11.0. IR (KBr): 3401, 2927, 2873, 1751, 1656, 1573, 1377, 1160, 1013, 875, 731 cm^{-1}. HRMS–ESI: calc. for $[C_{22}H_{31}INO_7+H]^+$: m/z = 548.1145, found: 548.1143.

5. Suzuki coupling of 2s and *p*-tolylboronic acid

A vial in a glove box under nitrogen atmosphere was charged with $Pd(OAc)_2$ (0.025 mmol, 7 mg), PPh_3 (0.050 mmol, 13 mg), Cs_2CO_3 (0.70 mmol, 228 mg), and *p*-tolylboronic acid (0.60 mmol, 82 mg) and 2 mL of dixoane. Compound **2s** (0.50 mmol, 157 mg) were subsequently added. The reaction vial was removed from the glove box and heated in oil bath at 70 ℃ overnight. The resulting mixture was washed with water and extracted with dichloromethane. The combined organic layer was dried over Na_2SO_4 and concentrated to give crude residue, which was purified by flash column chromatography (petroleum ether/ethyl acetate = 60/1) to give **3** (53 mg, 38%, yellow solid) and **4** (47 mg, 34%, yellow solid).

(*E*)-4,4-Dimethyl-5-(4-methylbenzylidene)-2-phenyl-4,5-dihydrooxazole (3). R_f = 0.20, SiO_2, petroleum ether/ethyl acetate = 30/1. mp = 85–87 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.00 (dd, *J* = 8.3, 1.3 Hz, 2H), 7.51 (dt, *J* = 2.8, 2.0 Hz, 1H), 7.47 – 7.42 (m, 2H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.14 (d, *J* = 8.0 Hz, 2H), 6.49 (s, 1H), 2.36 (s, 3H), 1.45 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 160.3, 158.4, 135.4, 130.6, 130.2, 128.4, 127.7, 127.4, 127.0, 126.0, 102.7, 68.1, 27.1, 20.1. IR (KBr): 2972, 2927, 1694, 1652, 1450, 1291, 1173, 1054, 1021, 960, 809, 701, 621, 513 cm^{-1}. HRMS–ESI: calc. for $[C_{19}H_{19}NO+H]^+$: m/z = 278.1545, found: 278.1543.

(*Z*)-4,4-Dimethyl-5-(4-methylbenzylidene)-2-phenyl-4,5-dihydrooxazole (4). R_f = 0.21, SiO_2, petroleum ether/ethyl acetate = 30/1. mp = 72–74 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.11 – 8.07 (m, 2H), 7.54 (d, *J* = 7.9 Hz, 3H), 7.52 – 7.47 (m, 2H), 7.21 (d, *J* = 8.0 Hz, 2H), 5.52 (s, 1H), 2.37 (s, 3H), 1.53 (s, 6H). ^{13}C NMR (100 MHz,

$CDCl_3$): δ/ppm= 158.9, 158.8, 134.8, 131.1, 130.8, 128.2, 127.6, 127.2, 126.8, 125.9, 98.2, 69.7, 28.7, 20.2. IR (KBr): 2968, 2923, 1696, 1650, 1452, 1286, 1175, 1045, 958, 799, 696, 522 cm^{-1}. HRMS–ESI: calc. for $[C_{19}H_{19}NO+H]^+$: m/z = 278.1545, found: 278.15430.

6. General procedure for the preparation of oxazole aldehydes.

A solution of N-propargylamide (0.5 mmol, 1.0 equiv.), $PhI(OAc)_2$ (0.05 mmol, 0.1 equiv.), and LiI (0.05 mmol, 0.1 equiv.) in 2 mL of CH_2Cl_2 was irradiated under oxygen atmosphere with a 24 W fluorescent household bulb at room temperature. Upon completion (monitored by TLC), CH_2Cl_2 (10 mL) was added, and the mixture was washed with aqueous $Na_2S_2O_3$. The organic layer was dried over Na_2SO_4 and concentrated to give crude residue, which was purified by flash column chromatography to give the corresponding products.

2-Phenyloxazole-5-carbaldehyde (5a). Compound **5a** was prepared according to the general procedure and isolated as a white solid (71 mg, 82% yield) after flash chromatography (petroleum ether/ethyl acetate = 6/1); mp = 71–73 ℃, (ref,[6] mp = 74–76 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.82 (s, 1H), 8.19 – 8.16 (m, 2H), 7.95 (s, 1H), 7.59 – 7.49 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.3, 165.5, 149.6, 139.1, 132.3, 129.1, 127.7, 125.9. Spectral data are in agreement with literature values.[7]

2-(*o*-Tolyl)oxazole-5-carbaldehyde (5b). Compound **5b** was prepared according to the general procedure and isolated as a yellow solid (69 mg, 74% yield) after flash chromatography (petroleum ether/ethyl acetate = 12/1); mp = 67–68 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.83 (s, 1H), 8.15 – 8.11 (m, 1H), 7.97 (s, 1H), 7.42 (td, *J* = 7.6,

1.3 Hz, 1H), 7.35 – 7.29 (m, 2H), 2.74 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.3, 164.9, 148.1, 138.0, 137.6, 131.0, 130.7, 128.9, 125.3, 123.8, 21.2. Spectral data are in agreement with literature values.[7]

2-(*m*-Tolyl)oxazole-5-carbaldehyde (5c). Compound **5c** was prepared according to the general procedure and isolated as a white solid (77 mg, 82% yield) after flash chromatography (petroleum ether/ethyl acetate = 12/1); mp = 60–61 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.79 (s, 1H), 7.98 (s, 1H), 7.97 – 7.94 (m, 1H), 7.93 (s, 1H), 7.39 (d, *J* = 7.6 Hz, 1H), 7.36 (d, *J* = 2.5 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.3, 165.7, 149.6, 139.2, 139.0, 133.2, 129.0, 128.2, 125.7, 124.9, 21.3. Spectral data are in agreement with literature values.[7]

2-(*p*-Tolyl)oxazole-5-carbaldehyde (5d). Compound **5d** was prepared according to the general procedure and isolated as a yellow solid (74 mg, 79% yield) after flash chromatography (petroleum ether/ethyl acetate = 12/1); mp = 103–105 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.77 (s, 1H), 8.03 (d, *J* = 8.2 Hz, 2H), 7.91 (s, 1H), 7.28 (d, *J* = 8.0 Hz, 2H), 2.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.2, 164.7, 148.4, 142.0, 138.3, 128.8, 126.7, 122.1, 20.7. Spectral data are in agreement with literature values.[7]

2-(4-Fluorophenyl)oxazole-5-carbaldehyde (5e). Compound **5e** was prepared according to the general procedure and isolated as a white solid (83 mg, 87% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1); mp = 118–120 ℃, (ref,[8] mp = 123–125 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.81 (s, 1H), 8.21 – 8.17 (m, 2H), 7.94 (s, 1H), 7.23 – 7.18 (m, 2H). ^{19}F NMR (376 MHz, $CDCl_3$): δ/ppm=

-105.7. ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.2, 165.6 (d, J_{C-F} = 190.6 Hz), 164.0, 149.7, 139.2, 130.1 (d, J_{C-F} = 9.1 Hz), 122.3, 116.5 (d, J_{C-F} = 22.3 Hz). Spectral data are in agreement with literature values.[7]

2-(4-Bromophenyl)oxazole-5-carbaldehyde (5f). Compound **5f** was prepared according to the general procedure and isolated as a yellow solid (111 mg, 88% yield) after flash chromatography (petroleum ether/ethyl acetate = 6/1); mp = 140 –142 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.82 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 2H), 7.94 (s, 1H), 7.66 (d, *J* = 7.7 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.2, 164.6, 149.7, 139.0, 132.5, 129.1, 127.3, 124.8. Spectral data are in agreement with literature values.[7]

2-(4-Methoxyphenyl)oxazole-5-carbaldehyde (5g). Compound **5g** was prepared according to the general procedure and isolated as a yellow solid (92 mg, 91% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1); mp = 147–149 ℃, (ref,[2] mp = 140–142 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.77 (s, 1H), 8.13 (d, *J* = 8.9 Hz, 2H), 7.92 (s, 1H), 7.01 (d, *J* = 8.9 Hz, 2H), 3.89 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.0, 165.8, 163.0, 149.3, 139.7, 129.7, 118.4, 114.6, 55.6. Spectral data are in agreement with literature values.[7]

2-(4-Chlorophenyl)oxazole-5-carbaldehyde (5h). Compound **5h** was prepared according to the general procedure and isolated as a white solid (90 mg, 87% yield) after flash chromatography (petroleum ether/ethyl acetate = 8/1); mp = 136–138 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.82 (s, 1H), 8.12 (d, *J* = 8.5 Hz, 2H), 7.94 (s, 1H), 7.50 (d, *J* = 8.5 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.2, 163.5, 148.7, 138.0, 137.7, 128.5, 127.9, 123.3. Spectral data are in agreement with literature values.[8]

2-(2-Chlorophenyl)oxazole-5-carbaldehyde (5i). Compound **5i** was prepared according to the general procedure and isolated as a white solid (91 mg, 88% yield) after flash chromatography (petroleum ether/ethyl acetate = 12/1); mp = 75–77 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.88 (s, 1H), 8.13 (dd, *J* = 7.8, 1.8 Hz, 1H), 8.02 (s, 1H), 7.56 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.48 (td, *J* = 7.7, 1.8 Hz, 1H), 7.42 (td, *J* = 7.6, 1.3 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.6, 162.2, 148.6, 136.9, 132.5, 131.6, 130.7, 130.6, 126.1, 123.8. Spectral data are in agreement with literature values.[7]

2-(Naphthalen-1-yl)oxazole-5-carbaldehyde (5j). Compound **5j** was prepared according to the general procedure and isolated as a yellow solid (100 mg, 90% yield) after flash chromatography (petroleum ether/ethyl acetate = 8/1); mp = 110–112 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.90 (s, 1H), 9.31 (d, *J* = 8.7 Hz, 1H), 8.43 (d, *J* = 7.3 Hz, 1H), 8.08 (s, 1H), 8.07 (d, *J* = 8.3 Hz, 1H), 7.94 (d, *J* = 8.3 Hz, 1H), 7.70 (t, *J* = 7.7 Hz, 1H), 7.60 (t, *J* = 7.7 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.4, 165.5, 149.2, 138.8, 134.0, 133.3, 130.4, 129.8, 128.9, 128.3, 126.7, 125.8, 125.0, 122.2. IR (KBr): 3046, 1688, 1505, 1322, 1262, 1149, 984, 766, 530 cm^{-1}. HRMS–ESI: calc. for $[C_{14}H_9NO_2+H]^+$: m/z = 224.0712, found: 224.0704.

2-(Thiophen-2-yl)oxazole-5-carbaldehyde (5k). Compound **5k** was prepared according to the general procedure and isolated as a yellow solid (81 mg, 91% yield) after flash chromatography (petroleum ether/ethyl acetate = 10/1); mp = 100–102 ℃, (ref,[2] mp = 57–59 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.76 (s, 1H), 7.88 – 7.87 (m, 2H), 7.58 (dd, *J* = 5.0, 1.1 Hz, 1H), 7.16 (dd, *J* = 5.0, 3.8 Hz, 1H). ^{13}C NMR (100

MHz, $CDCl_3$): δ/ppm= 174.9, 160.6, 148.1, 138.3, 130.6, 130.0, 127.6, 127.2. Spectral data are in agreement with literature values.[7]

2-(Pyridin-3-yl)oxazole-5-carbaldehyde (5l). Compound **5l** was prepared according to the general procedure and isolated as a white solid (53 mg, 61% yield) after flash chromatography (petroleum ether/ethyl acetate = 1/1); mp = 101–103 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.85 (s, 1H), 9.39 (d, *J* = 1.6 Hz, 1H), 8.78 (dd, *J* = 4.8, 1.3 Hz, 1H), 8.43 (dt, *J* = 8.0, 1.8 Hz, 1H), 7.98 (s, 1H), 7.47 (dd, *J* = 8.0, 4.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.3, 162.0, 151.5, 149.0, 147.6, 137.6, 133.9, 122.9, 121.4. IR (KBr): 3124, 3093, 2959, 1667, 1580, 1525, 1259, 1154, 974, 774, 701, 621, 454 cm^{-1}. HRMS–ESI: calc. for $[C_9H_6N_2O_2+H]^+$: m/z = 175.0508, found: 175.0500.

(*E*)-2-Styryloxazole-5-carbaldehyde (5m). Compound **5m** was prepared according to the general procedure and isolated as a yellow solid (79 mg, 79% yield) after flash chromatography (petroleum ether/ethyl acetate = 6/1); mp = 97–99 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.75 (s, 1H), 7.89 (s, 1H), 7.79 (d, *J* = 16.4 Hz, 1H), 7.56 (dd, *J* = 7.5, 2.0 Hz, 2H), 7.42 – 7.38 (m, 3H), 6.98 (d, *J* = 16.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.1, 165.4, 149.1, 141.3, 139.5, 134.6, 130.4, 129.1, 127.8, 112.5. Spectral data are in agreement with literature values.[7]

2-(2,4-Dichlorophenyl)oxazole-5-carbaldehyde (5n). Compound **5n** was prepared according to the general procedure and isolated as a white solid (85 mg, 70% yield) after flash chromatography (petroleum ether/ethyl acetate = 8/1); mp = 103–105 ℃, (ref,[8] mp = 120–121 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.86 (s, 1H), 8.07 (d, *J* = 8.5 Hz, 1H), 8.00 (s, 1H), 7.56 (d, *J* = 1.7 Hz, 1H), 7.39 (dd, *J* = 8.5, 1.8 Hz, 1H). ^{13}C

NMR (100 MHz, $CDCl_3$): δ/ppm= 176.45, 162.3, 149.7, 138.4, 137.9, 134.3, 132.4, 131.5, 127.7, 123.3. Spectral data are in agreement with literature values.[8]

2-(3,4,5-Trimethoxyphenyl)oxazole-5-carbaldehyde (5o). Compound **5o** was prepared according to the general procedure and isolated as a white solid (110 mg, 84% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1); mp = 128–130 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.79 (s, 1H), 7.93 (s, 1H), 7.40 (s, 2H), 3.95 (s, 6H), 3.92 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 176.1, 165.5, 153.7, 149.6, 141.8, 139.6, 120.9, 104.9, 61.1, 56.4. IR (KBr): 2951, 2828, 1683, 1593, 1489, 1416, 1236, 1125, 1002, 858, 781, 740 cm^{-1}. HRMS–ESI: calc. for $[C_{13}H_{13}NO_5+H]^+$: m/z = 264.0872, found: 264.0871.

2-(2'-(5-Formyloxazol-2-yl)-[1,1'-biphenyl]-2-yl)oxazole-4-carbaldehyde (5p). Compound **5p** was prepared according to the general procedure and isolated as a yellow solid (132 mg, 77% yield) after flash chromatography (petroleum ether/ethyl acetate = 2/1); mp = 35–36 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.53 (s, 2H), 8.17 (dd, *J* = 7.6, 1.5 Hz, 2H), 7.64 (s, 2H), 7.62 – 7.53 (m, 4H), 7.35 (dd, *J* = 7.3, 1.5 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.2, 163.9, 148.2, 140.0, 136.8, 130.5, 130.0, 128.5, 127.2, 124.2. IR (KBr): 2847, 1683, 1523, 1431, 1146, 985, 780, 752, 719, 619 cm^{-1}. HRMS–ESI: calc. for $[C_{20}H_{12}N_2O_4+H]^+$: m/z = 345.0875, found: 345.0870.

2-Benzyloxazole-5-carbaldehyde (5q). Compound **5q** was prepared according to the general procedure and isolated as a colourless oil (74 mg, 79% yield) after flash chromatography (petroleum ether/ethyl acetate = 8/1). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.72 (s, 1H), 7.77 (s, 1H), 7.36 – 7.30 (m, 5H), 4.21 (s, 2H). ^{13}C NMR (100

MHz, $CDCl_3$): δ/ppm= 175.4, 166.9, 149.1, 136.8, 132.8, 128.0, 127.9, 126.6, 33.9. Spectral data are in agreement with literature values.[2]

2-Cyclohexyloxazole-5-carbaldehyde (5r). Compound **5r** was prepared according to the general procedure and isolated as a colourless oil (76 mg, 85% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.71 (s, 1H), 7.75 (s, 1H), 2.90 (tt, *J* = 11.4, 3.7 Hz, 1H), 2.12 – 2.06 (m, 2H), 1.85 – 1.80 (m, 2H), 1.63 (dd, *J* = 12.3, 3.0 Hz, 2H), 1.42 – 1.35 (m, 2H), 1.29 (dt, *J* = 11.9, 3.0 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/pm= 175.6, 172.1, 148.5, 137.0, 36.8, 29.2, 28.5, 24.7, 24.5, 24.4. IR (KBr): 3330, 2933, 1688, 1628, 1525, 1450, 1140, 982, 839, 762, 661 cm^{-1}. HRMS–ESI: calc. for $[C_{10}H_{13}NO_2+H]^+$: m/z = 180.1025, found: 180.1023.

(*S*)-Tert-butyl (1-(5-formyloxazol-2-yl)-2-phenylethyl)carbamate (5s). Compound **5s** was prepared according to the general procedure and isolated as a white solid (112 mg, 71% yield) after flash chromatography (petroleum ether/ethyl acetate = 4/1); mp = 71-73 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.67 (s, 1H), 7.69 (s, 1H), 7.18 (t, *J* = 7.4 Hz, 3H), 6.97 (d, *J* = 6.0 Hz, 2H), 5.09 (d, *J* = 8.3 Hz, 1H), 3.21 – 3.14 (m, 1H), 2.02 – 1.83 (m, 1H), 1.67 – 1.48 (m, 1H), 1.34 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 175.4, 167.1, 153.8, 148.9, 136.1, 134.2, 128.2, 127.7, 126.3, 79.5, 38.9, 32.8, 27.2. Spectral data are in agreement with literature values.[9]

2-((*R*)-3-((*5S,8R,9S,10S,13R,14S,17R*)-10,13-Dimethyl-3,7,12-trioxohexadecahydro-1H-cyclopenta[a]phenanthren-17-yl)butyl)oxazole-5-carbaldehyde (5t). Compound **5t** was prepared according to the general procedure and isolated as a white

solid (163 mg, 72% yield) after flash chromatography (petroleum ether/ethyl acetate = 1/2); mp = 179–181 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 9.72 (s, 1H), 7.76 (s, 1H), 2.94 (dd, *J* = 9.8, 5.5 Hz, 2H), 2.89 (t, *J* = 5.9 Hz, 1H), 2.86 – 2.81 (m, 2H), 2.36 (dd, *J* = 12.6, 5.1 Hz, 2H), 2.32 – 2.29 (m, 2H), 2.25 (t, *J* = 5.0 Hz, 2H), 2.15 (t, *J* = 6.2 Hz, 2H), 2.08 (dd, *J* = 6.2, 2.4 Hz, 1H), 2.06 – 2.03 (m, 2H), 1.98 (dd, *J* = 7.1, 3.0 Hz, 1H), 1.94 (dd, *J* = 4.9, 3.0 Hz, 1H), 1.87 (dd, *J* = 11.2, 7.1 Hz, 1H), 1.66 – 1.60 (m, 2H), 1.40 (s, 3H), 1.34 (dd, *J* = 6.3, 3.3 Hz, 1H), 1.30 (dd, *J* = 8.9, 5.6 Hz, 2H), 1.07 (s, 3H), 0.92 (d, *J* = 6.7 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 210.8, 208.0, 207.6, 175.3, 169.3, 148.8, 137.0, 55.9, 50.7, 48.0, 45.8, 44.5, 44.0, 41.8, 37.6, 35.5, 35.0, 34.7, 34.3, 31.3, 26.7, 24.8, 24.1, 20.9, 17.6, 10.8. IR (KBr): 3433, 2966, 1707, 1528, 1388, 1271, 1138, 772, 620, 526 cm^{-1}. HRMS–ESI: calc. for $[C_{27}H_{35}NO_5+H]^+$: m/z = 454.2593, found: 454.2586.

7. Derivatizations of aldehyde 5a.

2-Phenyloxazole-5-carbonitrile (6). To compound **5a** (87 mg, 0.5 mmol) in toluene (2 mL) at room temperature was added DPPH (233 mg, 1 mmol) in one portion. The resulting suspension was stirred at room temperature for 3 h and then gradually warmed over 45 min to 90 ℃. The reaction mixture became clear as the temperature reached 90 ℃. After being heated at 90 ℃ for 7 h, the reaction was allowed to cool to room temperature and then diluted by addition of CH_2Cl_2 (20 mL) and a saturated aqueous $NaHCO_3$ (20 mL). The aqueous layer was extracted with CH_2Cl_2 (3×20 ml). All of the organic layers were combined and then dried over Na_2SO_4. The solvents were removed by rotary evaporation, and the crude residue was purified by column

chromatography (SiO_2, petroleum ether/ethyl acetate = 10/1) to afford compound **6** (70 mg, 82% yield) as a white solid. mp = 92–93 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.14 – 8.03 (m, 2H), 7.82 (s, 1H), 7.60 – 7.46 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 164.9, 139.1, 132.3, 129.2, 127.4, 125.6, 124.2, 109.5. Spectral data are in agreement with literature values.[10]

2-Phenyloxazole-5-carboxylic acid (8). The aldehyde **5a** (87 mg, 0.5 mmol) was dissolved in the mixture of *t*-BuOH (5 mL), acetonitrile (5 mL) and 2-methyl-1-butene (2 mL). The mixture was cooled to 0 ℃ followed by addition of a newly prepared solution of NaH_2PO_4 (240 mg) and $NaClO_2$ (200 mg) in water (8 mL). The mixture was then slowly warmed to room temperature before it was quenched with saturated $Na_2S_2O_3$. The aqueous layer was extracted with EtOAc (5 x 10 mL), and the combined organic layers were dried over Na_2SO_4, filtered, and evaporated to give a residue, which was chromatographed (CH_2Cl_2/MeOH = 10/1) to give acid **8** (68 mg, 72%) as a white solid. mp= 212–214 ℃. ^{1}H NMR (400 MHz, DMSO-d_6): δ/ppm= 8.01–7.98 (m, 2H), 7.56–7.52 (m, 4H). ^{13}C NMR (100 MHz, DMSO-d_6) δ/ppm= 162.0, 150.5, 131.8, 131.5, 130.2, 128.2, 127.2, 126.9. Spectral data are in agreement with literature values.[10]

(2-Phenyloxazol-5-yl)methanol (7). To compound **5a** (87 mg, 0.5 mmol) in MeOH (20 mL) at room temperature was added $NaBH_4$ (38 mg, 1 mmol) and the mixture was stirred overnight. The resulting mixture was treated with water (20 mL), 1 M NaOH (20 mL) and then was extracted with CH_2Cl_2 (3 × 30 mL). The combined organic layer was dried over Na_2SO_4 and concentrated. The resulting residue was chromatographed (petroleum ether/ethyl acetate = 1/1) to give **7** (81 mg, 92%) as a white solid. mp = 90–

92 ℃, (ref,[11] mp = 74.5–76.0 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.03 (dd, *J* = 6.6, 3.0 Hz, 2H), 7.49 – 7.41 (m, 3H), 7.10 (s, 1H), 4.74 (s, 2H), 1.64 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 162.1, 150.8, 130.5, 128.8, 127.3, 126.4, 126.1, 55.3. Spectral data are in agreement with literature values.[11]

4-Chloro-N-((2-phenyloxazol-5-yl)methyl)aniline (9). A solution of **5a** (87 mg, 0.5 mmol) and 4-chloroaniline (64 mg, 0.5 mmol) in MeOH (20 mL) was stirred at room temperature for 2 h, then treated with $NaBH_4$ (38 mg, 1 mmol) and the mixture was stirred overnight. The resulting mixture was treated with water (20 mL), 1 M NaOH (20 mL) and then was extracted with CH_2Cl_2 (3 × 30 mL). The combined organic layer was dried over Na_2SO_4 and concentrated. The resulting residue was chromatographed (petroleum ether/ethyl acetate = 4/1) to give **9** (126 mg, 89%) as a white solid. mp = 79–81 ℃, (ref,[8] mp = 98–99 ℃). ^{1}H NMR (400 MHz, $CDCl_3$): δ/ppm= 8.00 (dd, *J* = 6.7, 2.9 Hz, 2H), 7.48 – 7.41 (m, 3H), 7.16 (d, *J* = 8.7 Hz, 2H), 7.05 (s, 1H), 6.63 (d, *J* = 8.8 Hz, 2H), 4.42 (s, 2H), 4.10 (s, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ/ppm= 161.7, 149.3, 145.7, 130.4, 129.2, 128.8, 127.4, 126.3, 125.7, 123.2, 114.3, 39.5. Spectral data are in agreement with literature values.[8]

8. References

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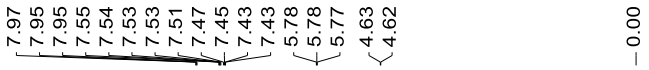
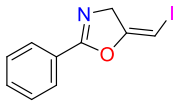
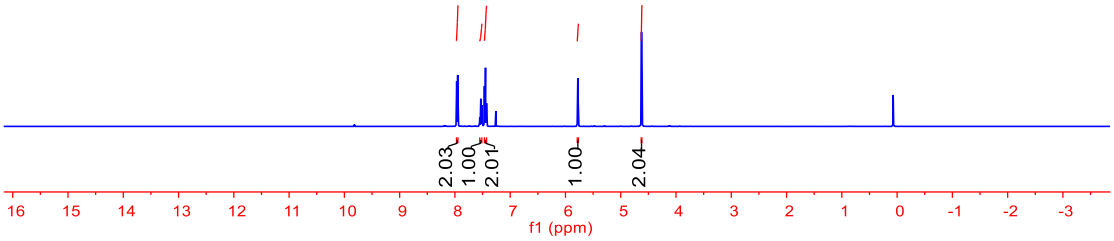
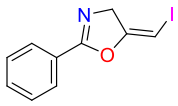
9. Copies of NMR spectra





^{1}H NMR (400 MHz, $CDCl_3$) of **2a**





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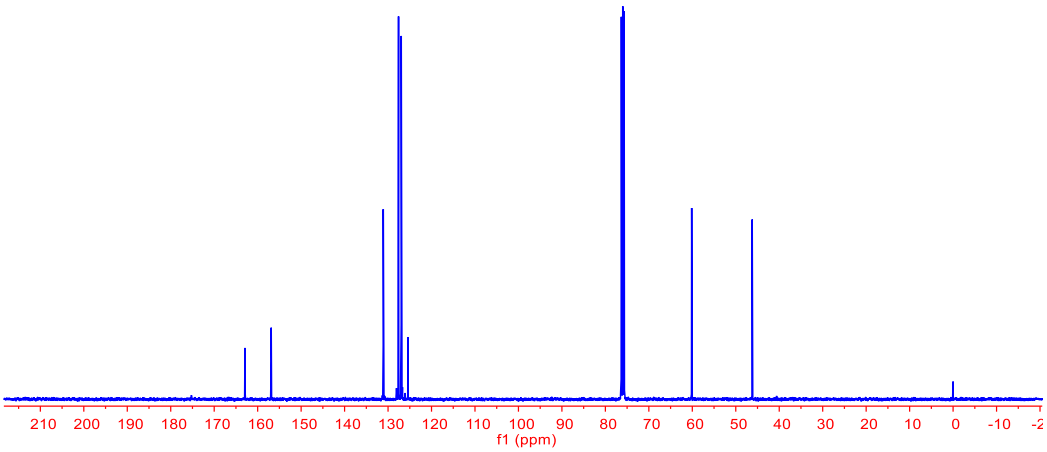
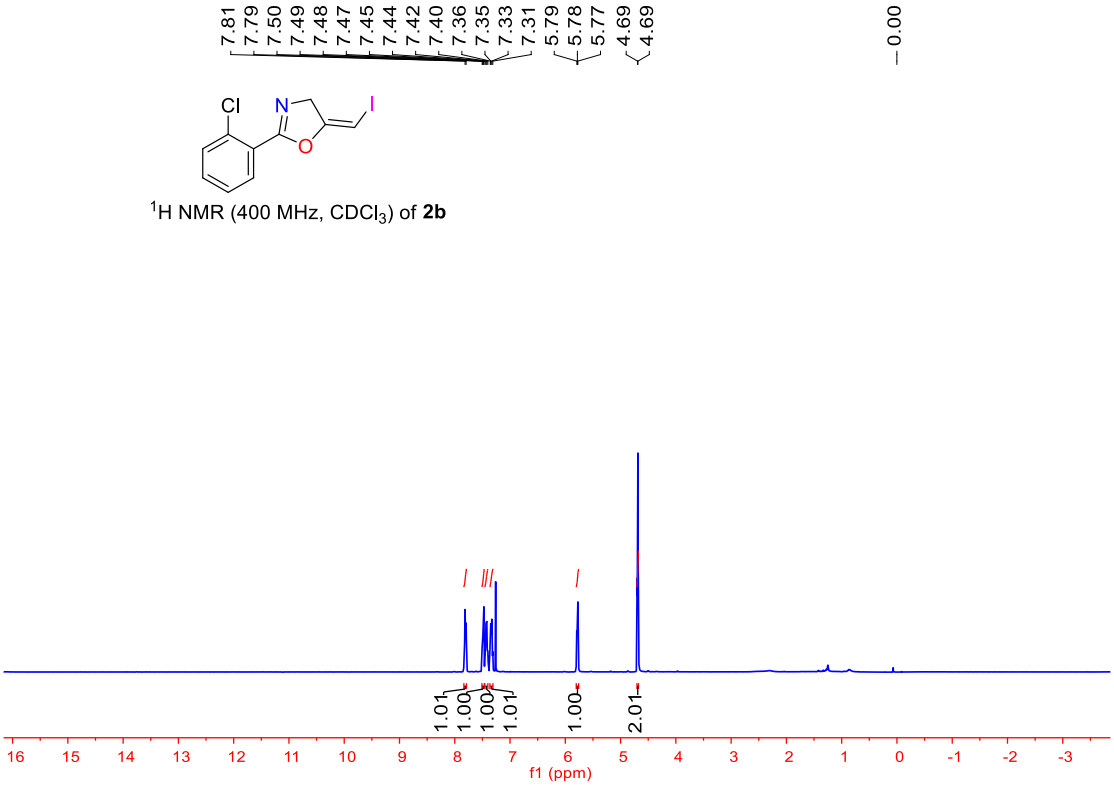
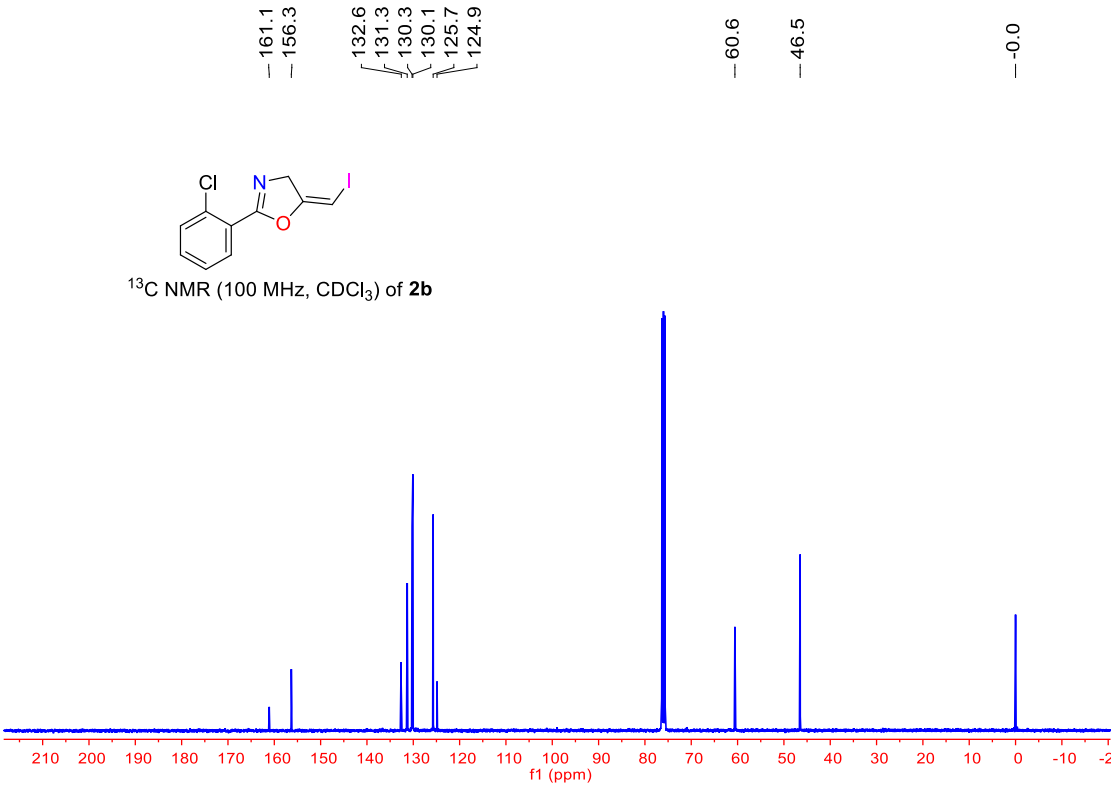




^{1}H NMR (400 MHz, $CDCl_3$) of **2b**



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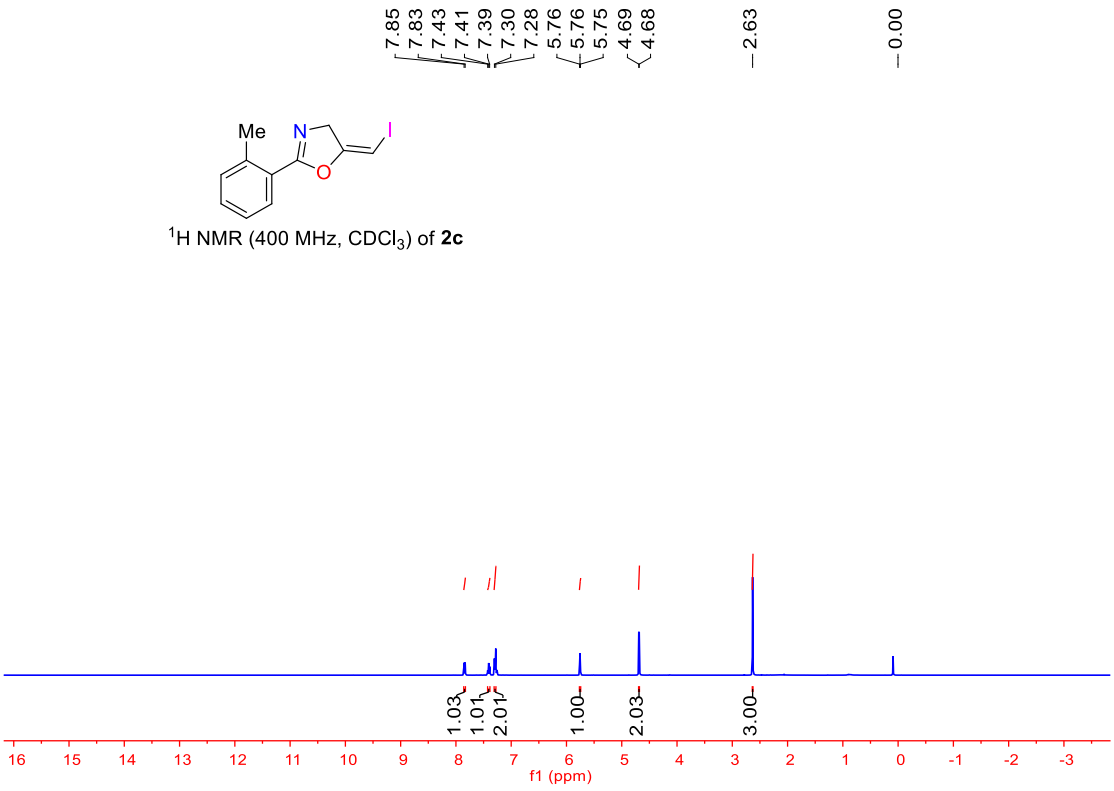

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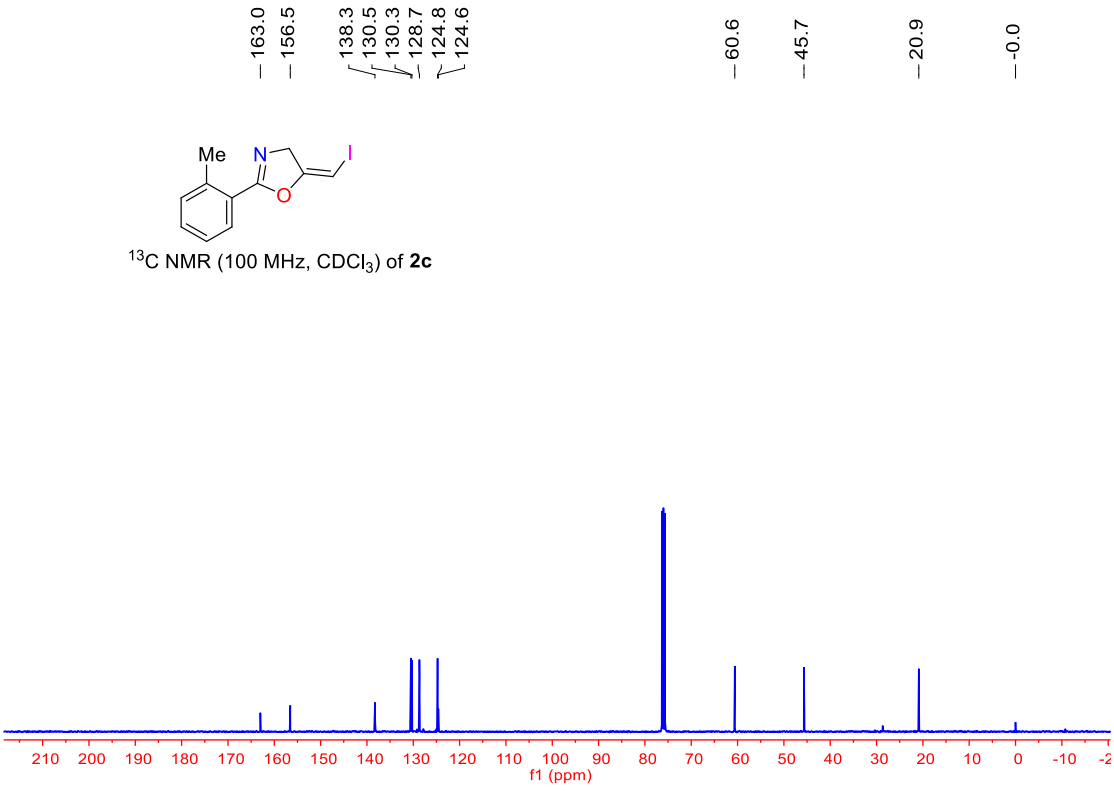

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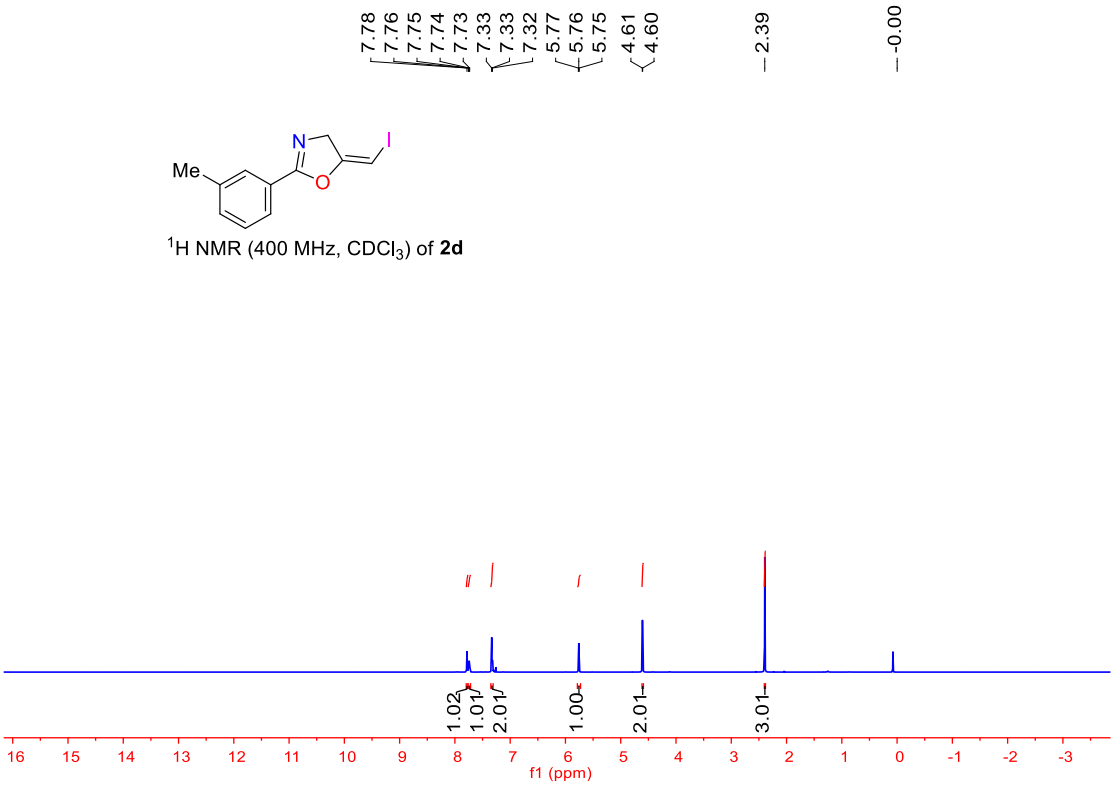

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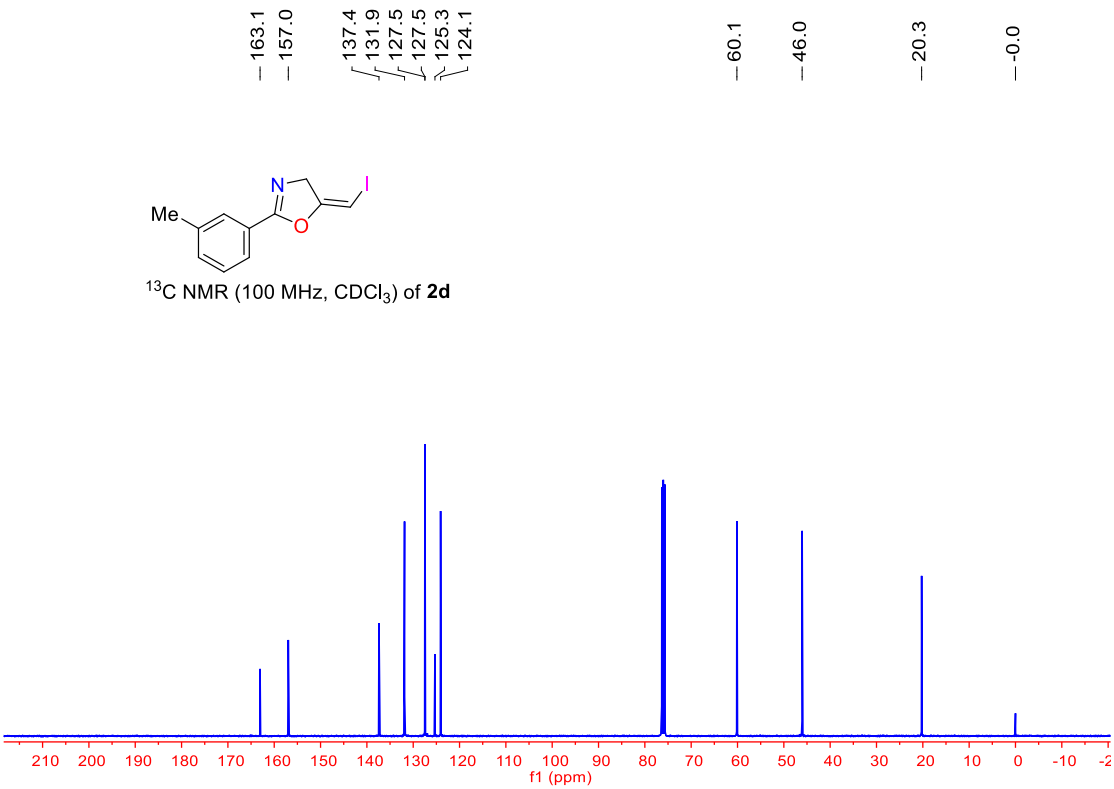

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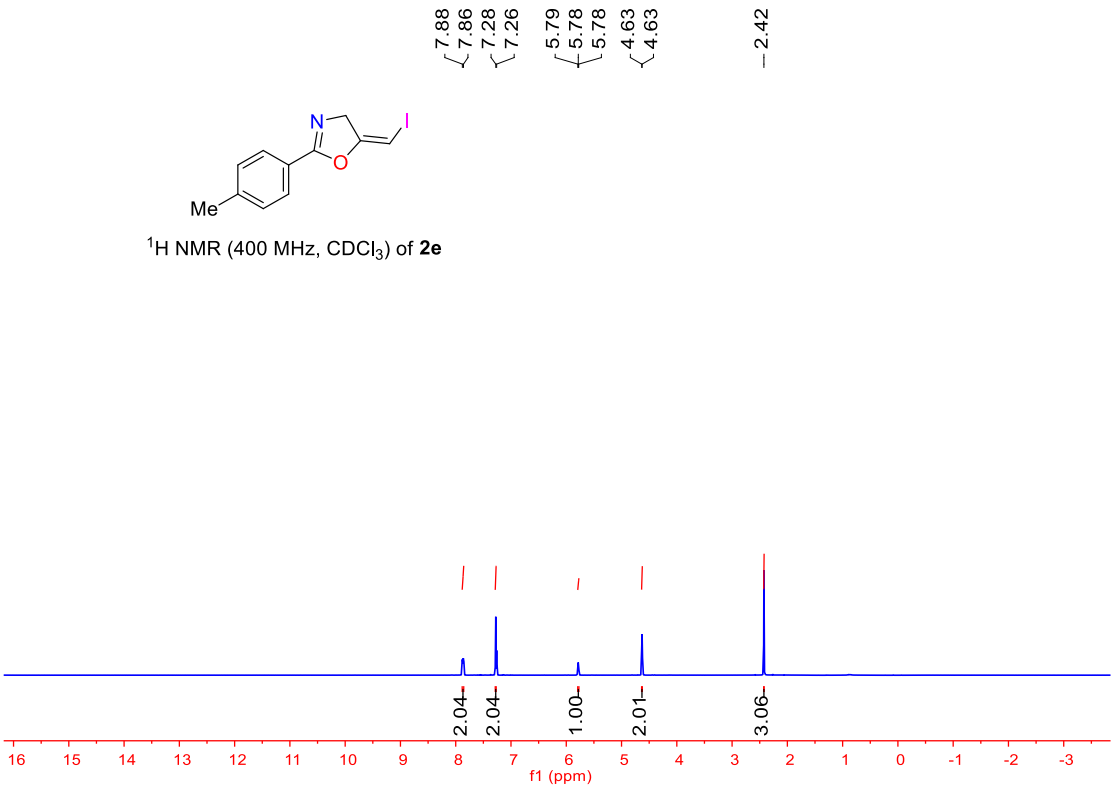

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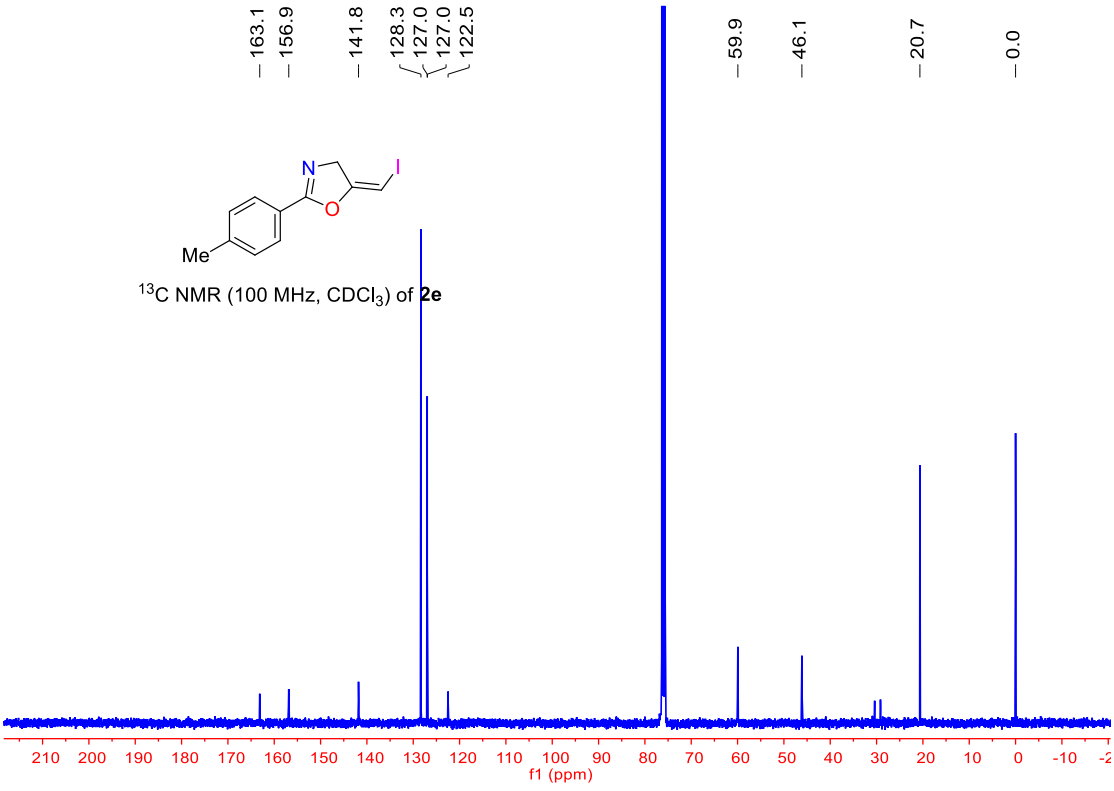

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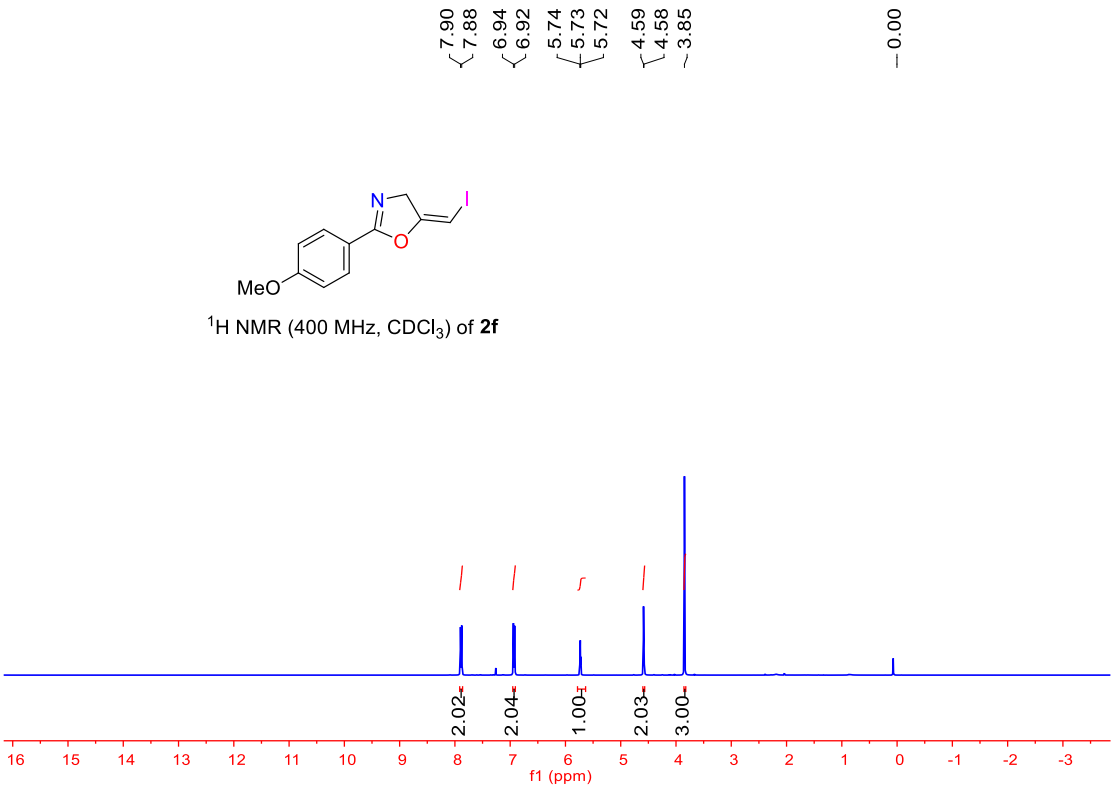

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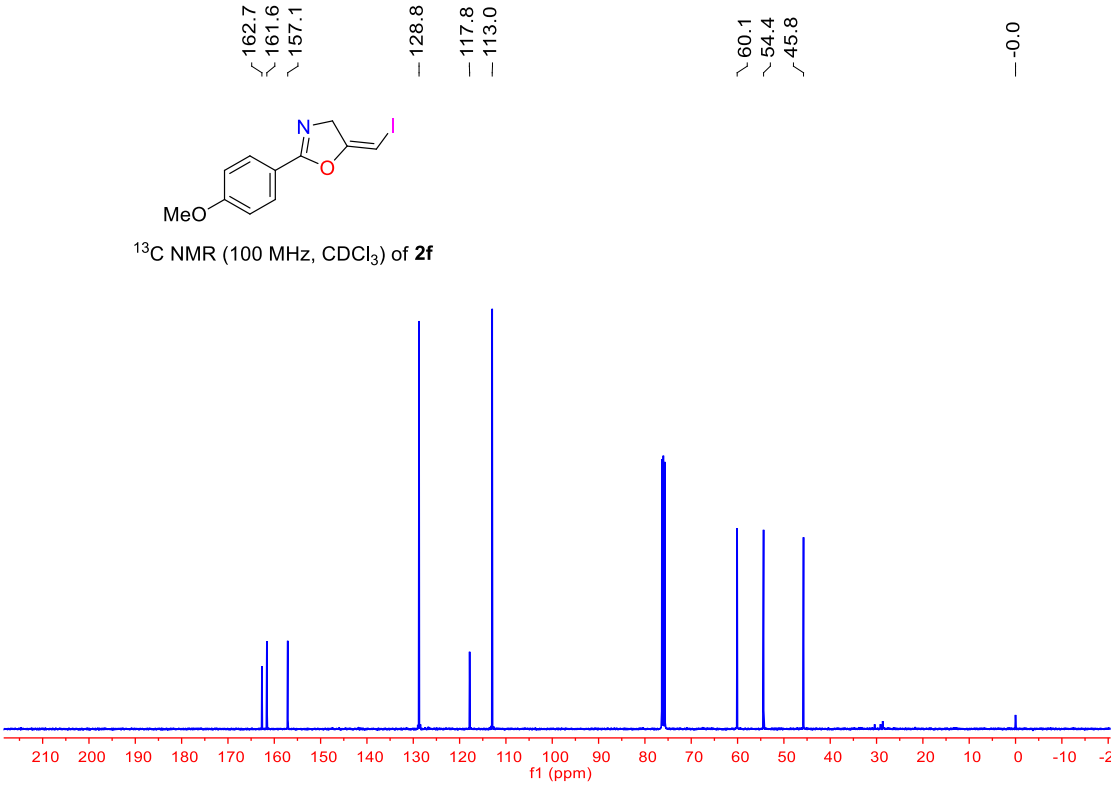
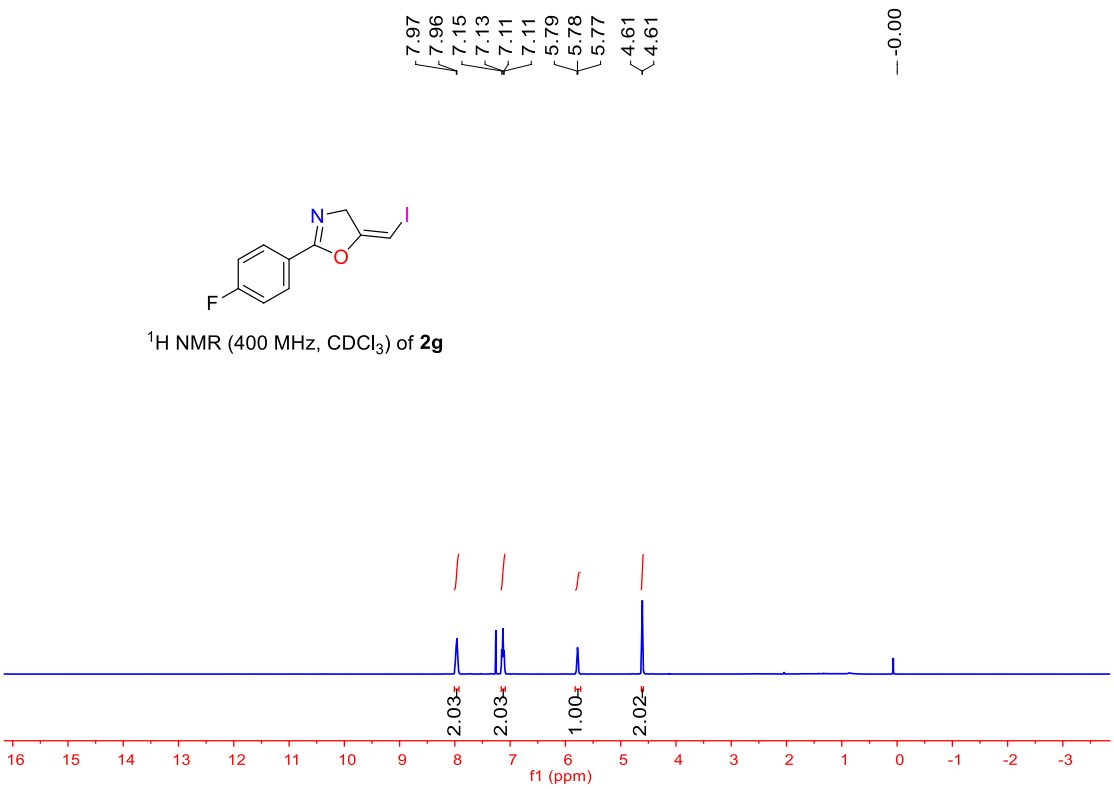
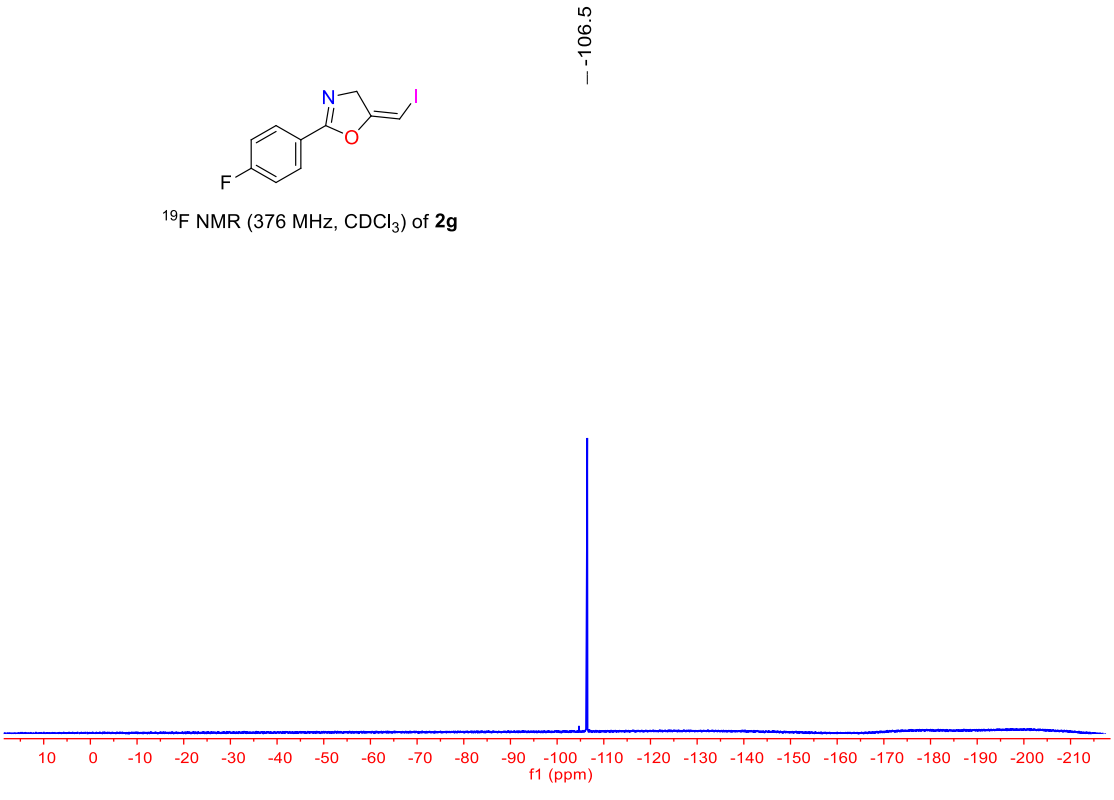


^{13}C NMR (100 MHz, $CDCl_3$) of **2f**



^{1}H NMR (400 MHz, $CDCl_3$) of **2g**



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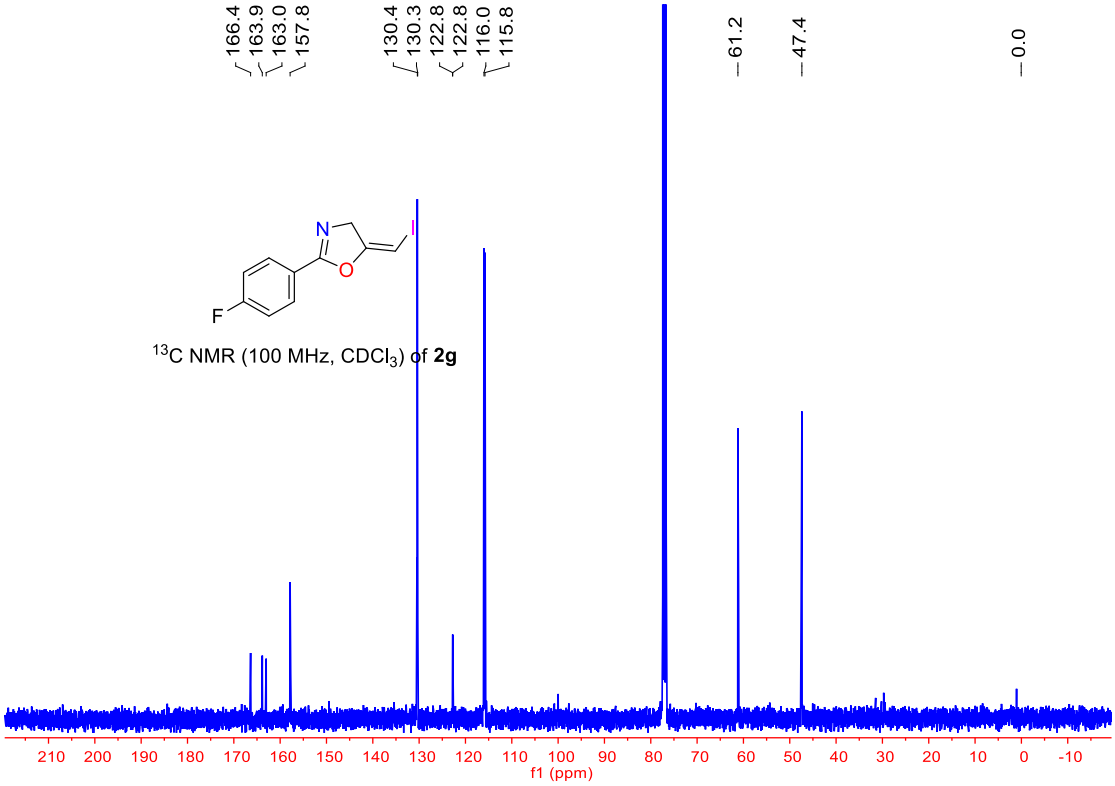

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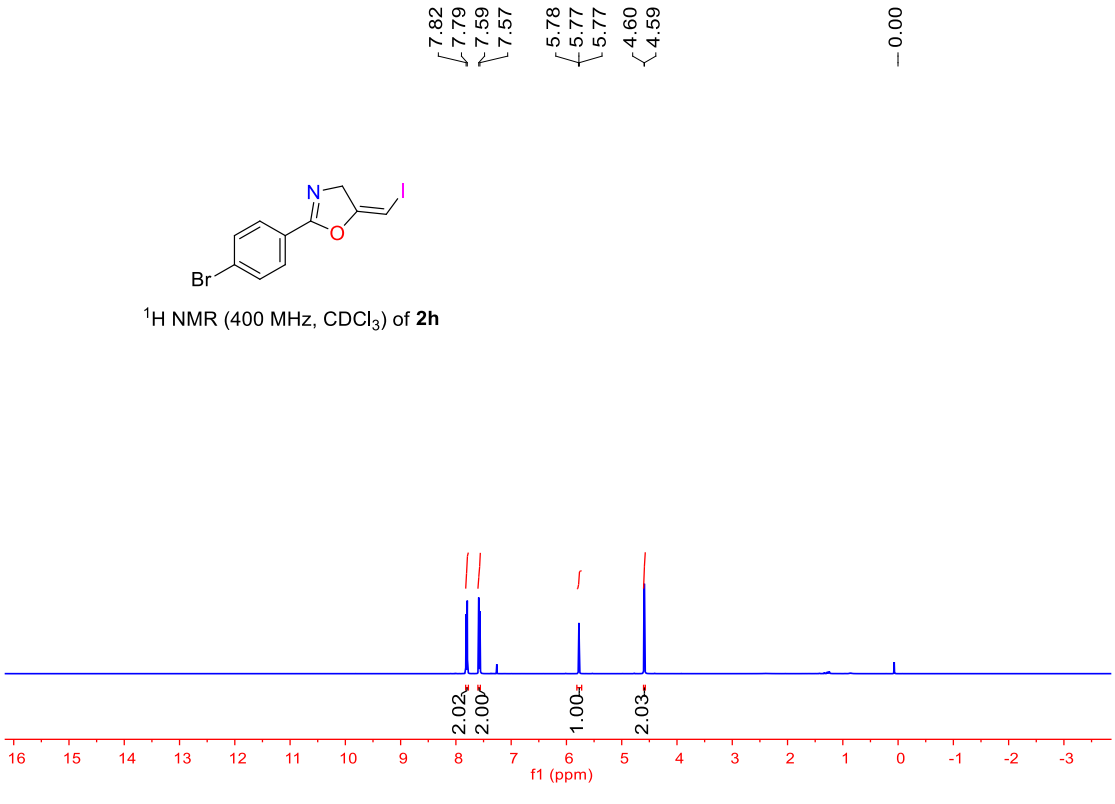

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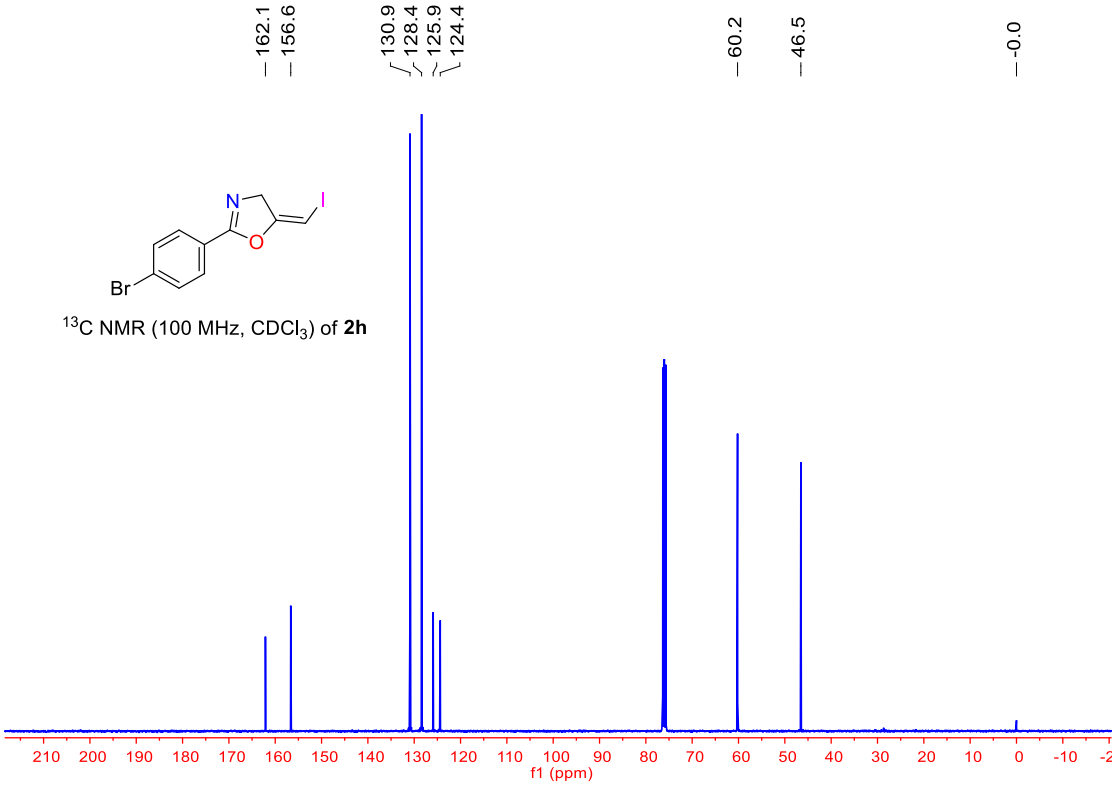

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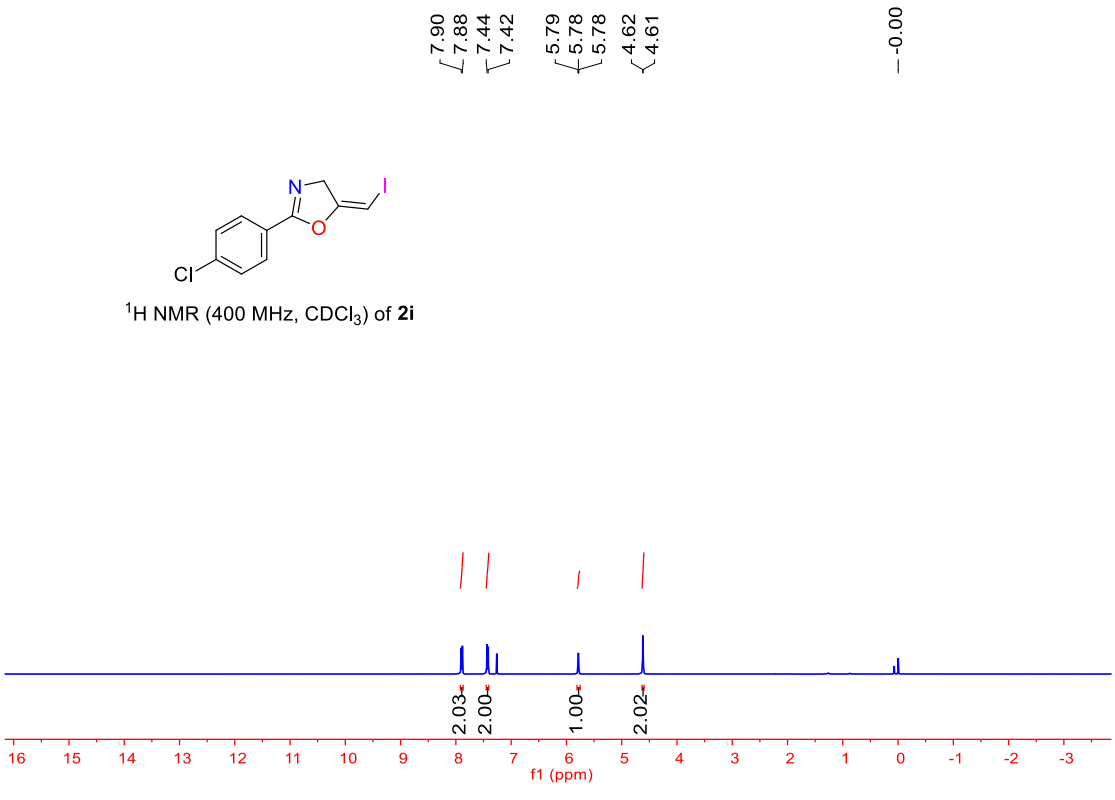

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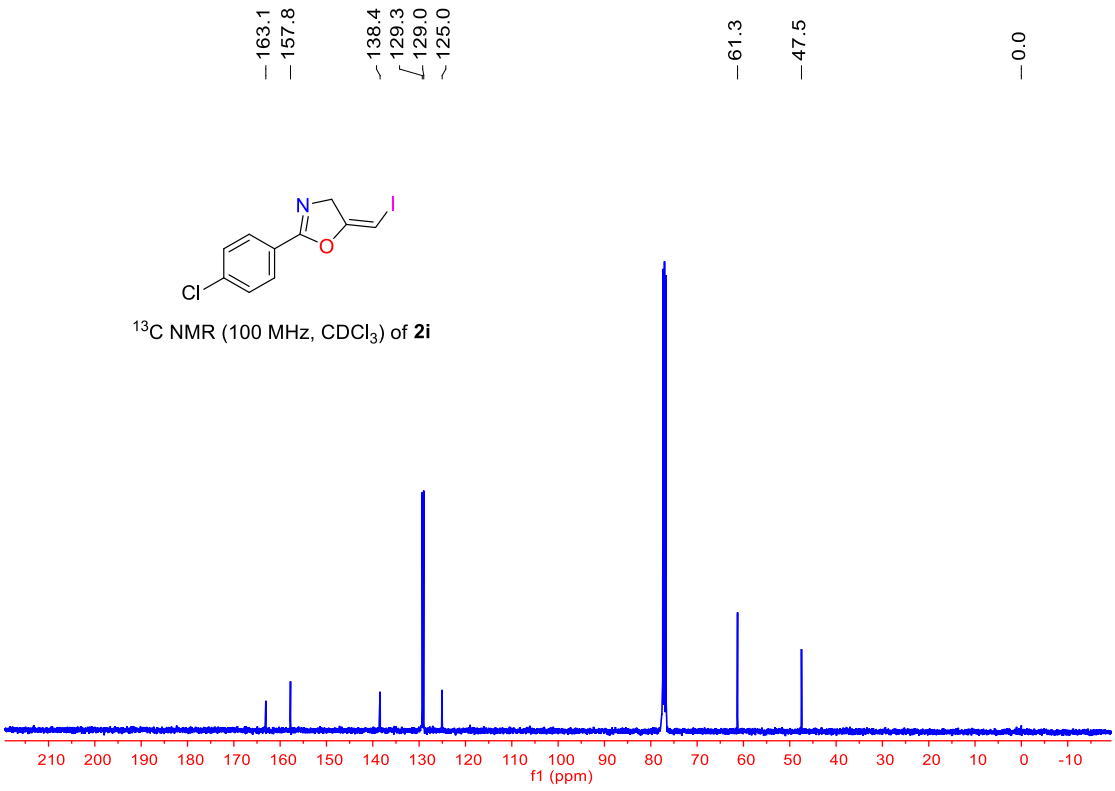

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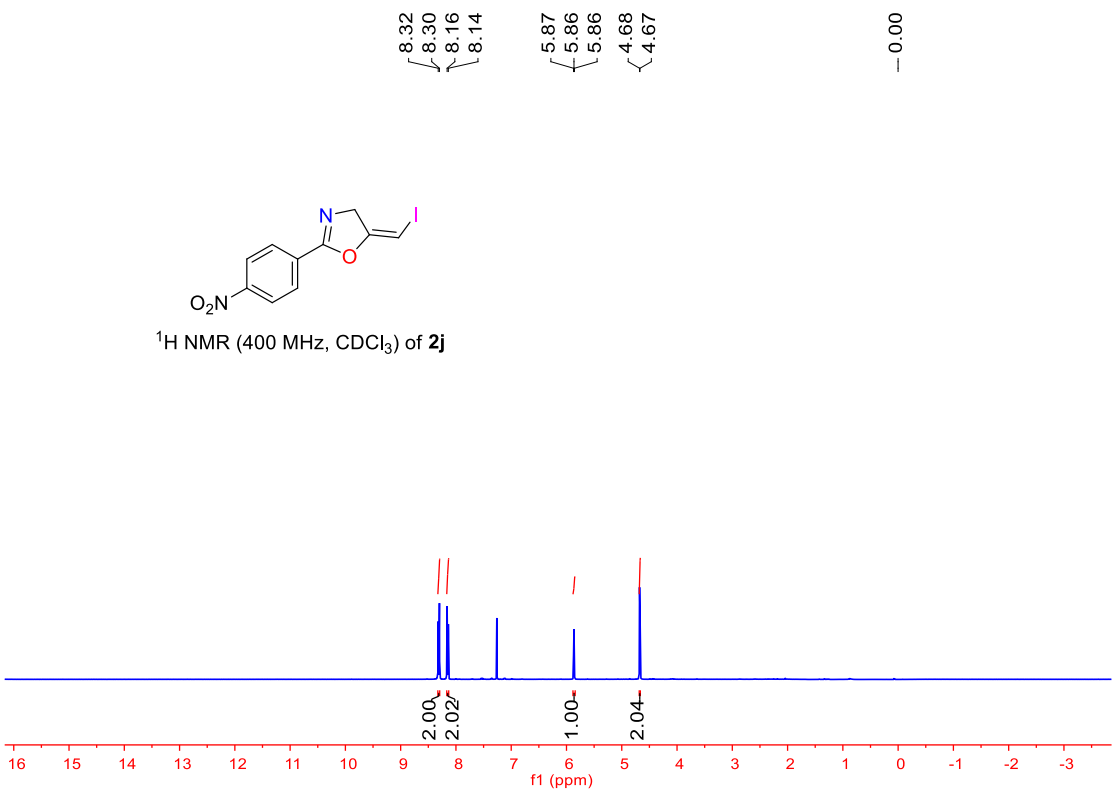

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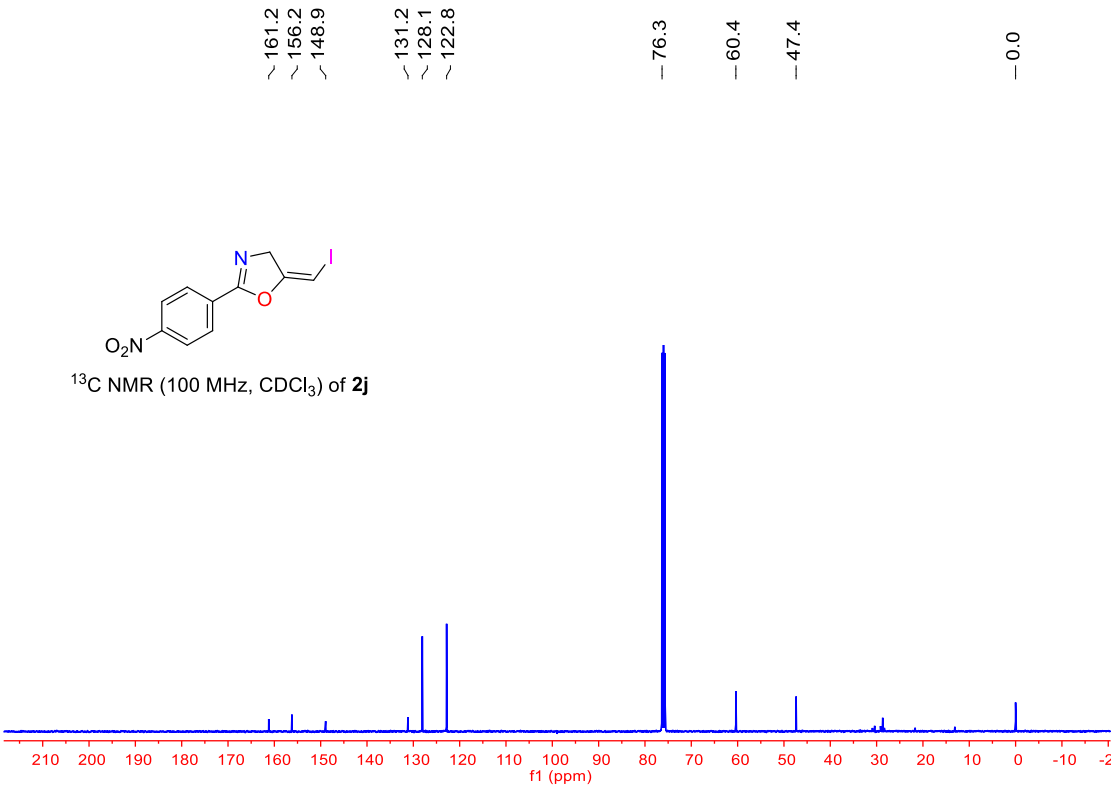
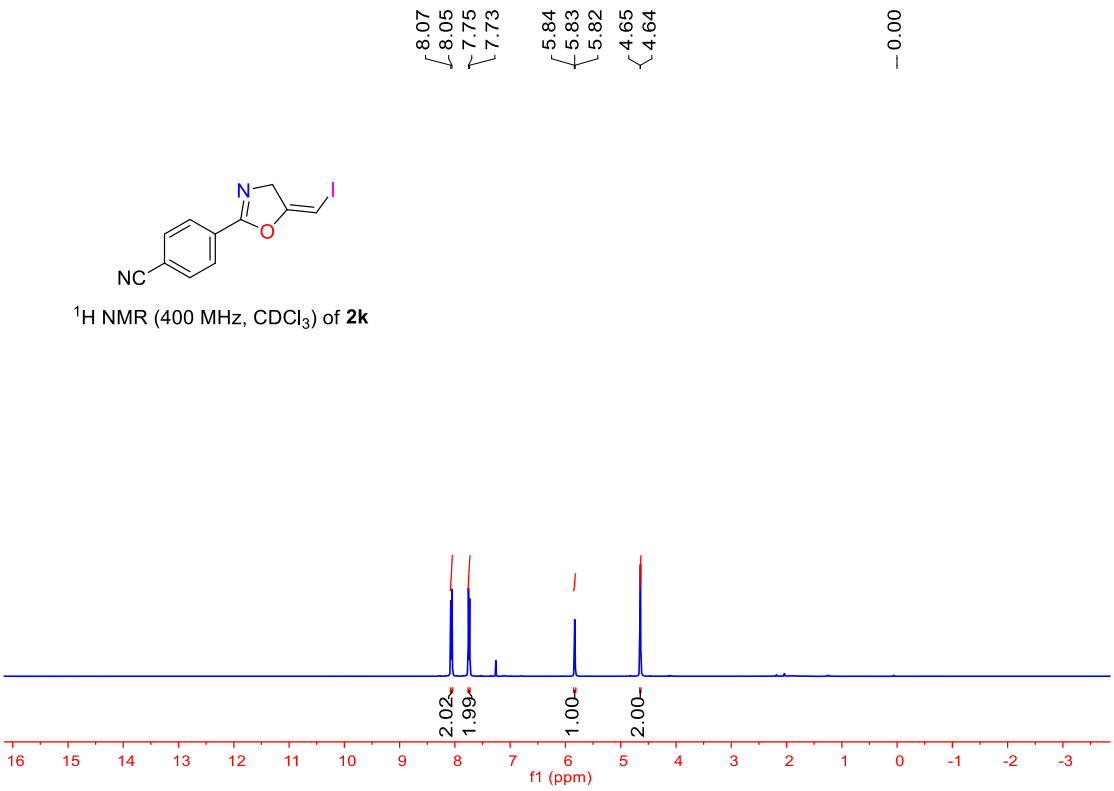


^{13}C NMR (100 MHz, $CDCl_3$) of **2j**



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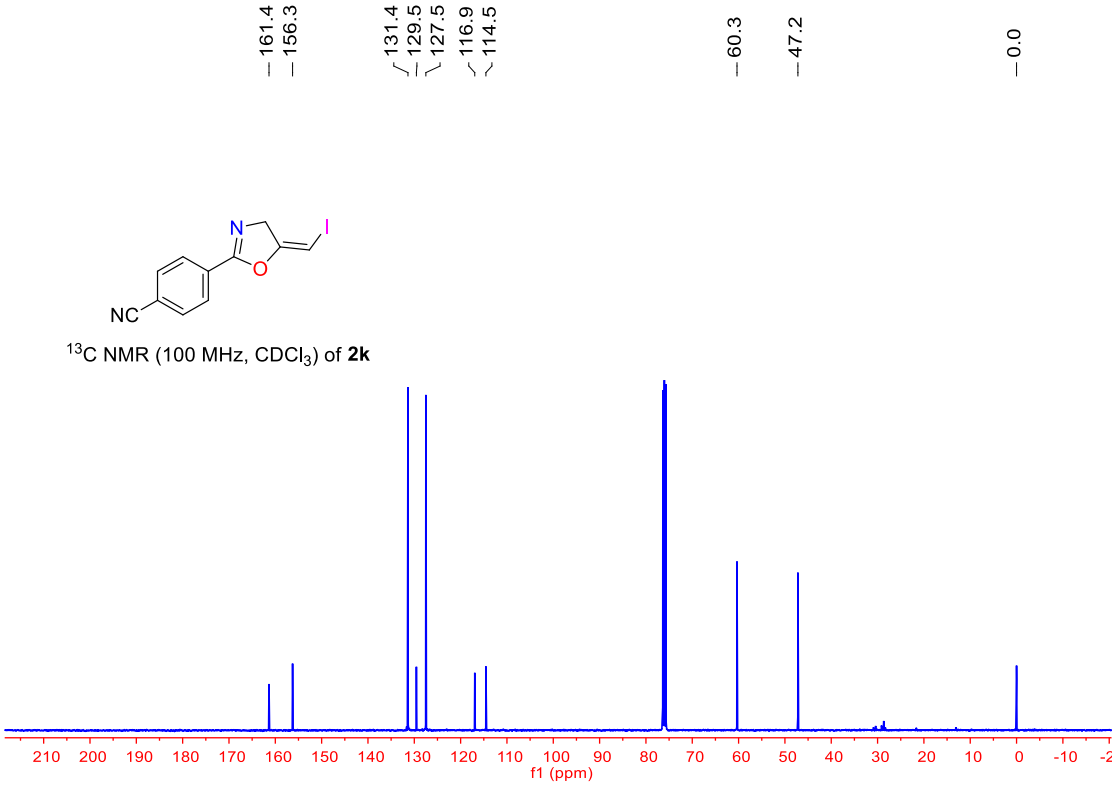

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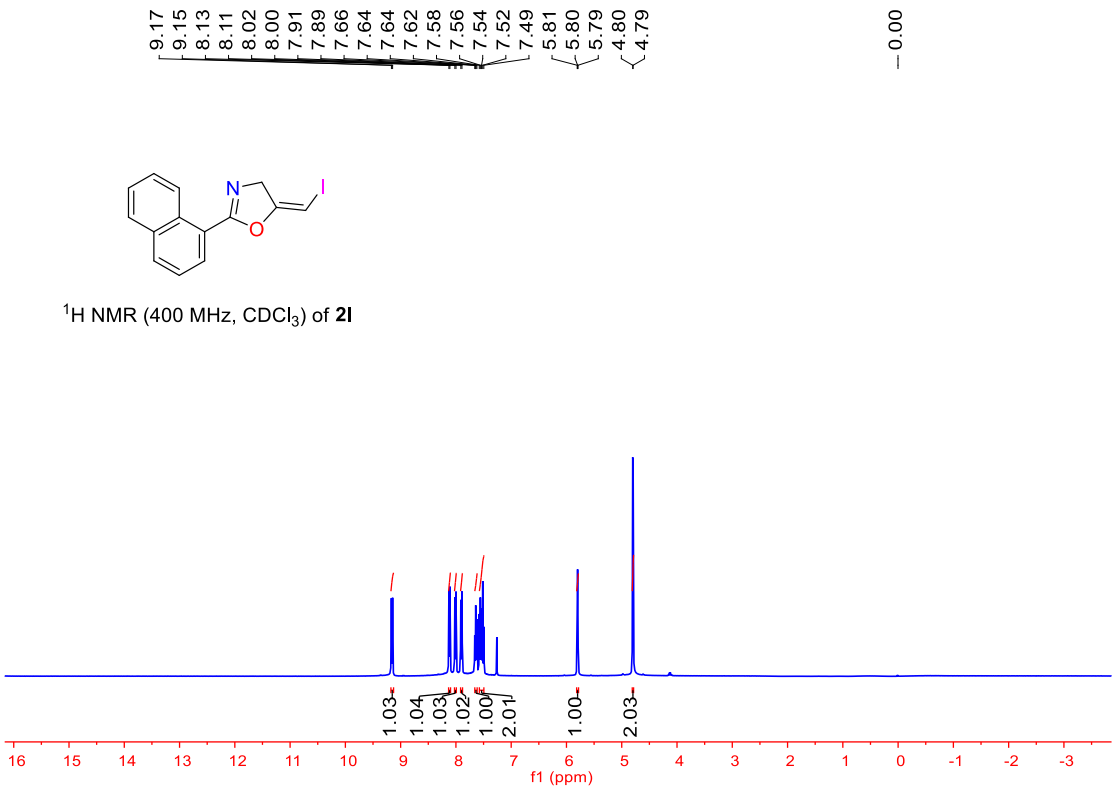

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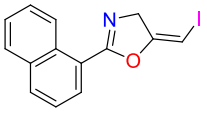

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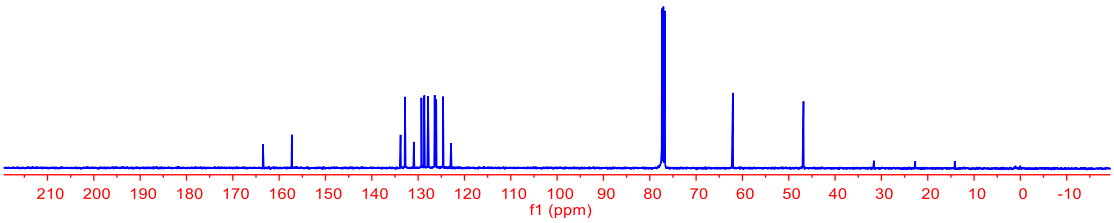
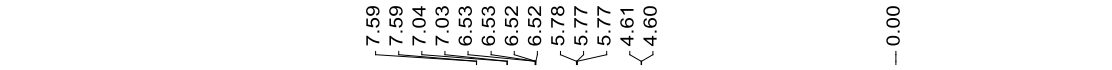
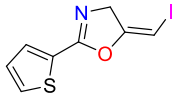
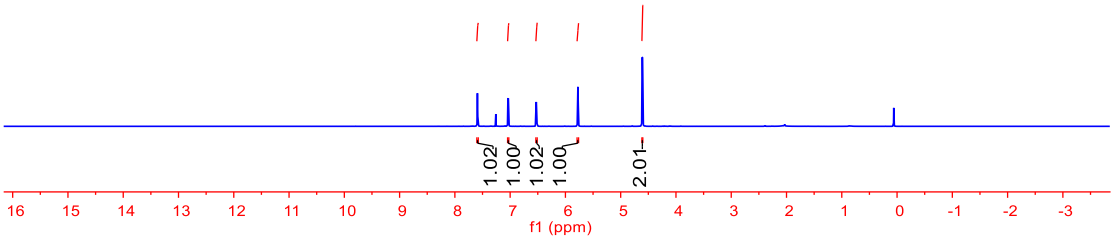
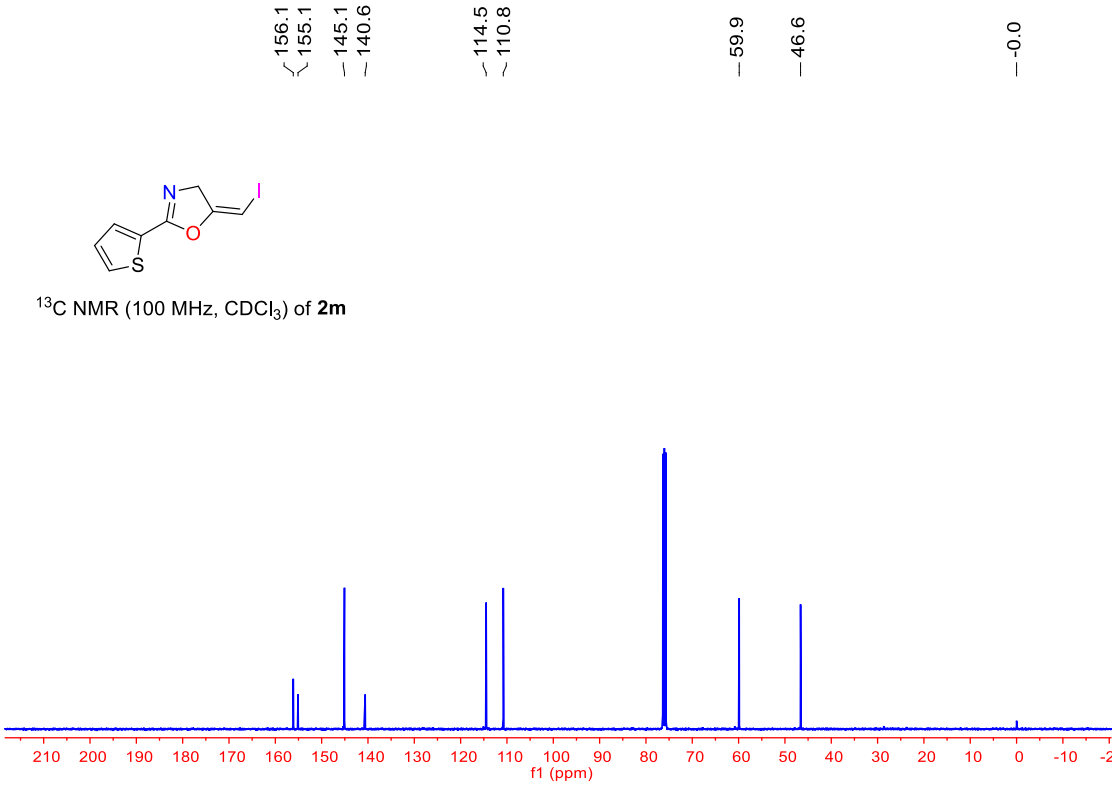




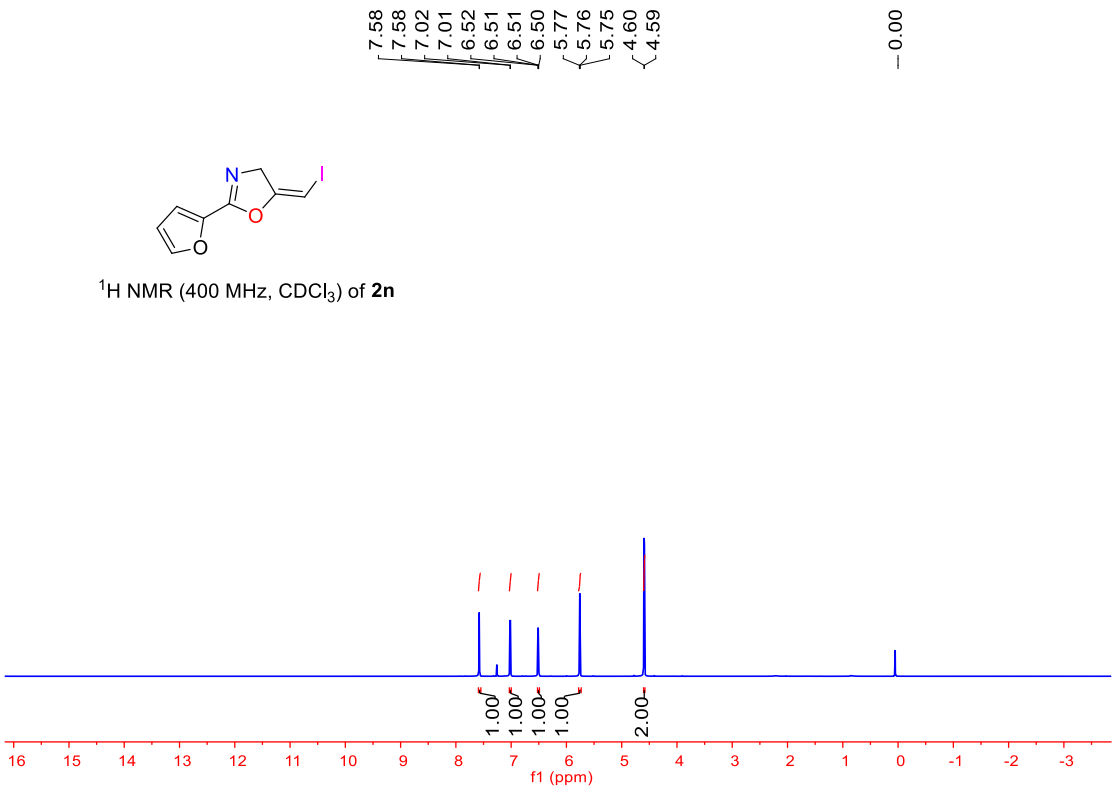


^{1}H NMR (400 MHz, $CDCl_3$) of **2m**

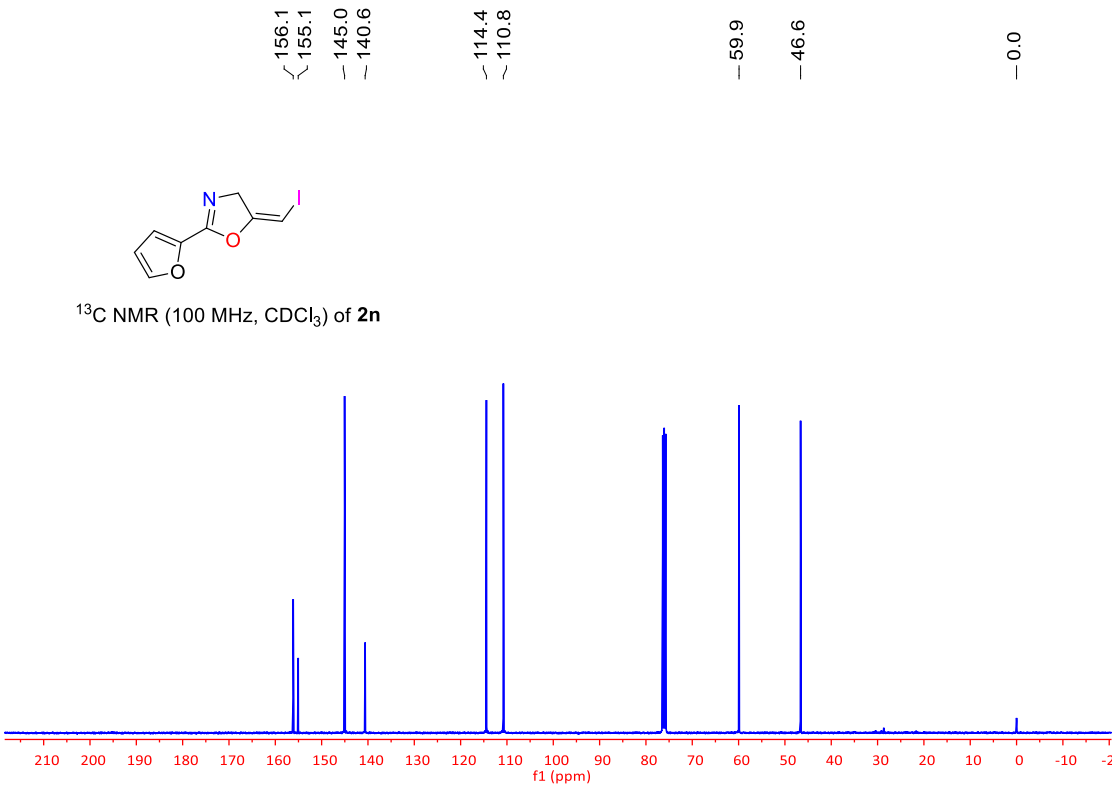




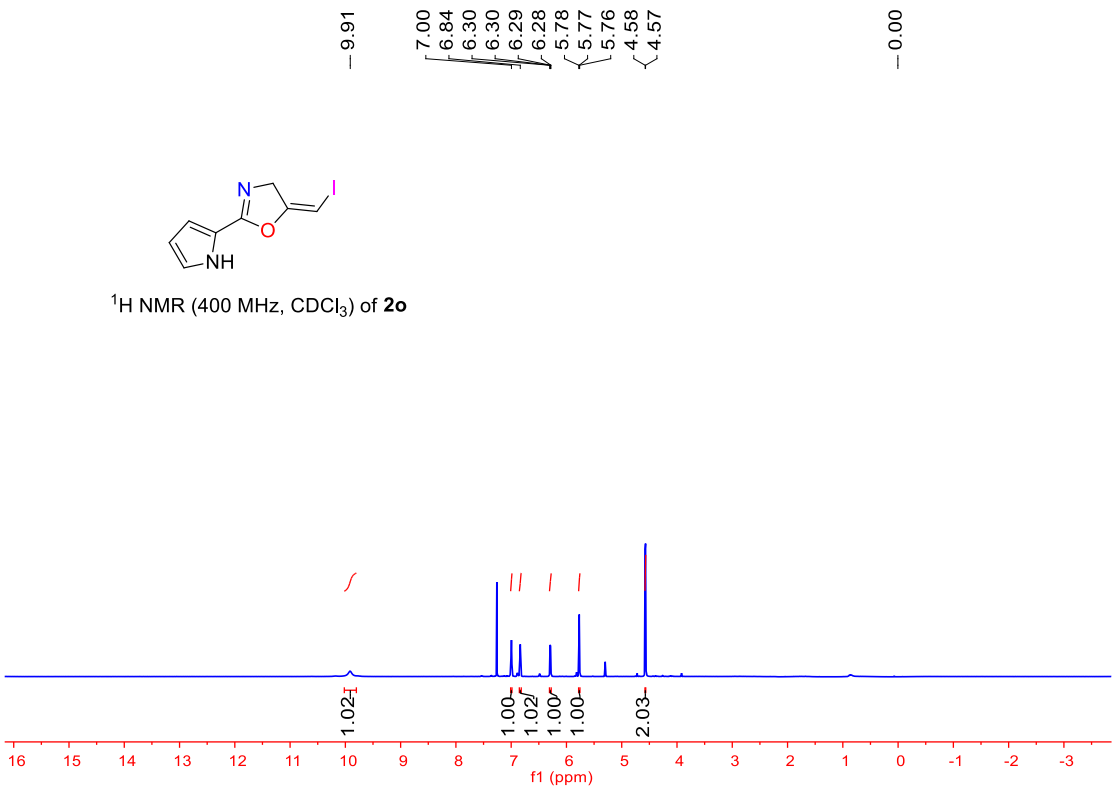
^{13}C NMR (100 MHz, $CDCl_3$) of **2m**



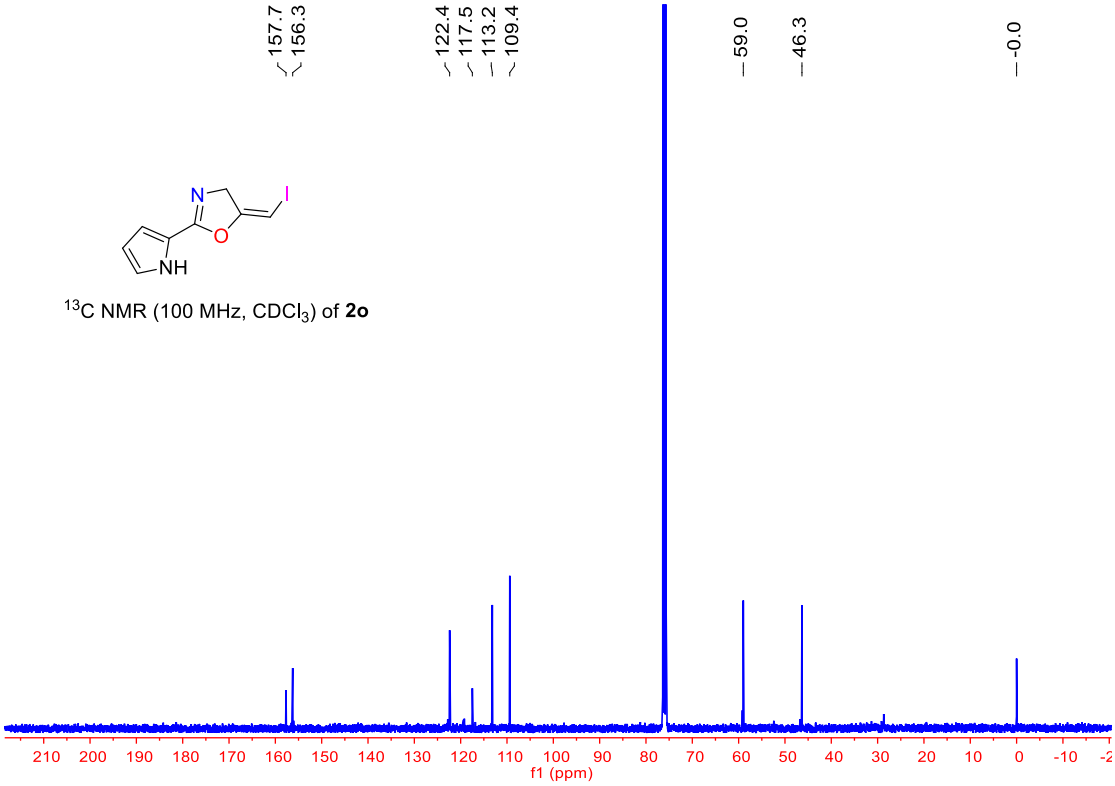
^{1}H NMR (400 MHz, $CDCl_3$) of **2n**



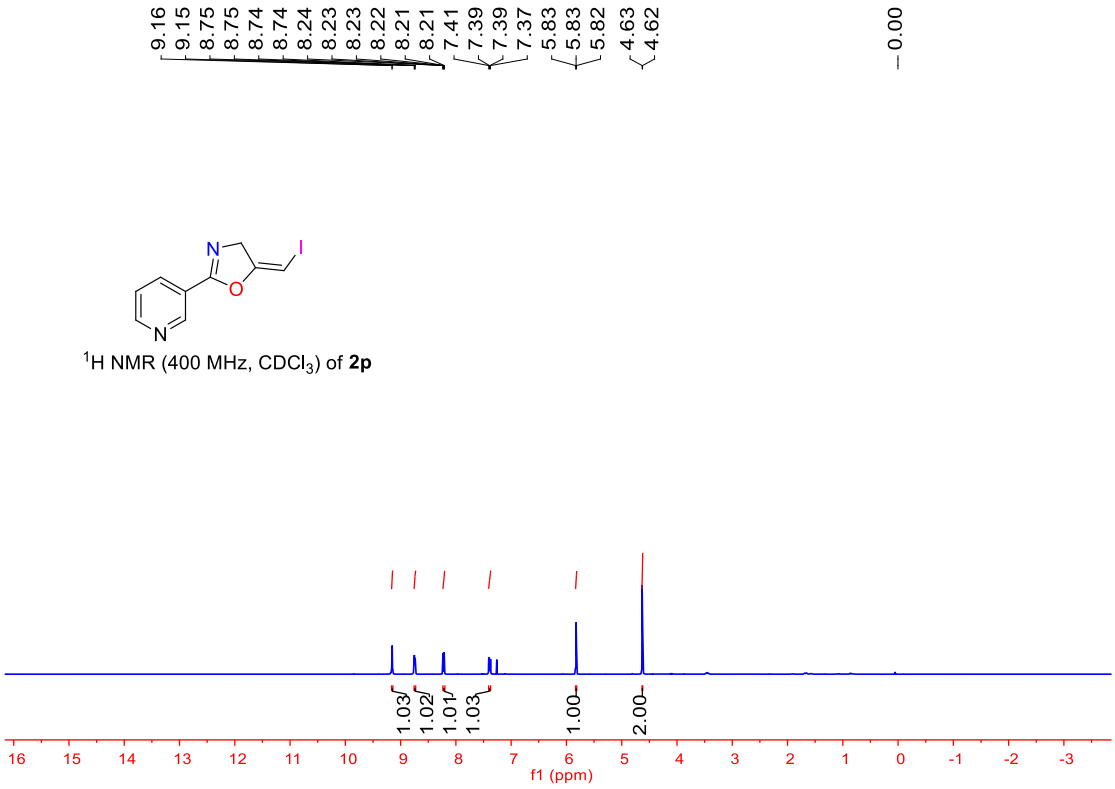
^{13}C NMR (100 MHz, $CDCl_3$) of **2n**



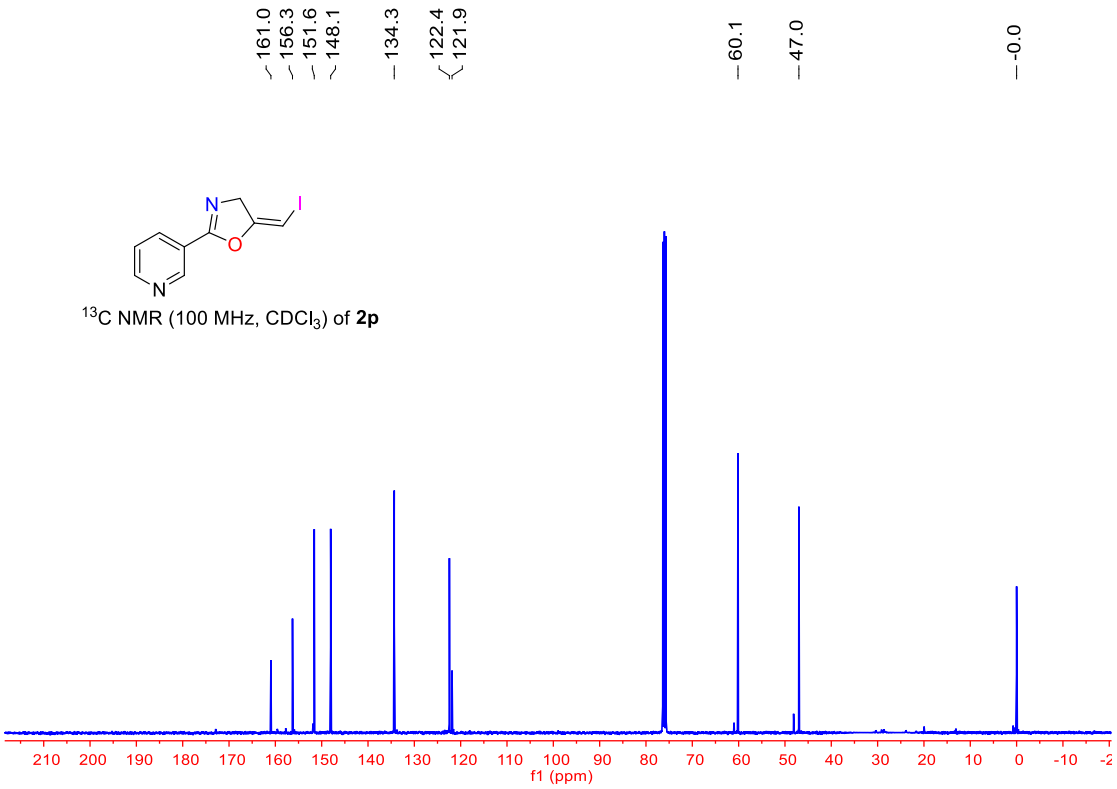
^{1}H NMR (400 MHz, $CDCl_3$) of **2o**



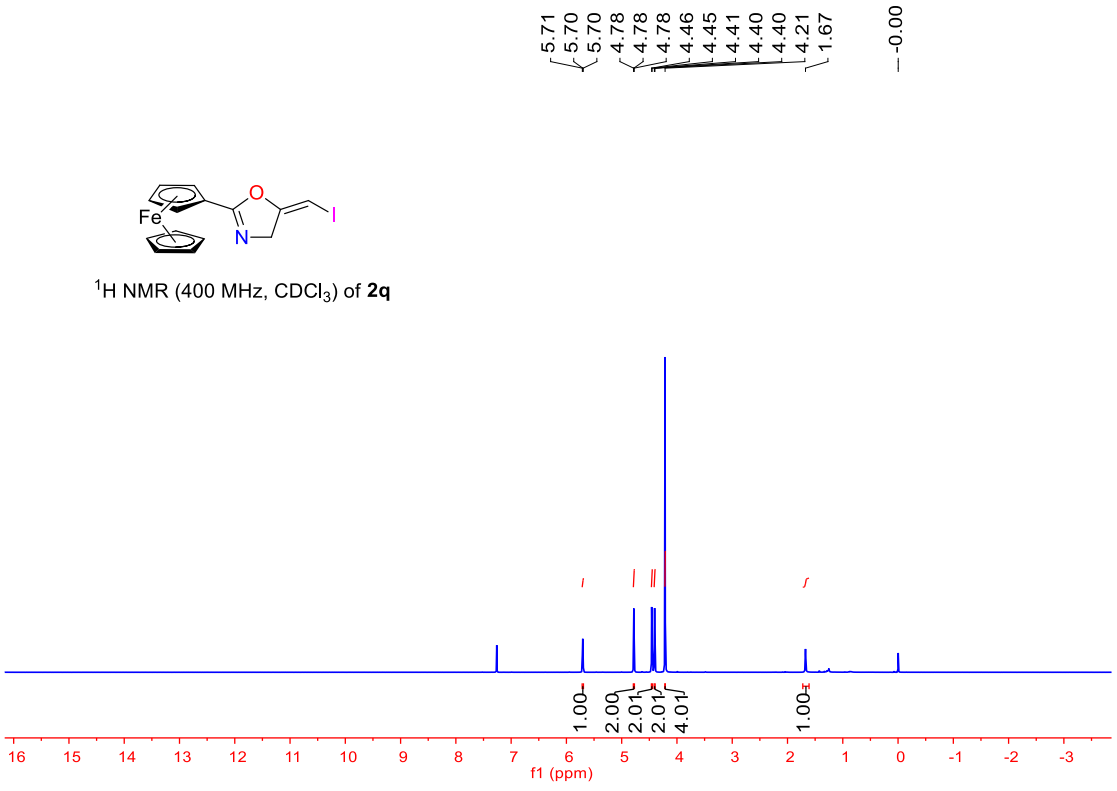
^{13}C NMR (100 MHz, $CDCl_3$) of **2o**



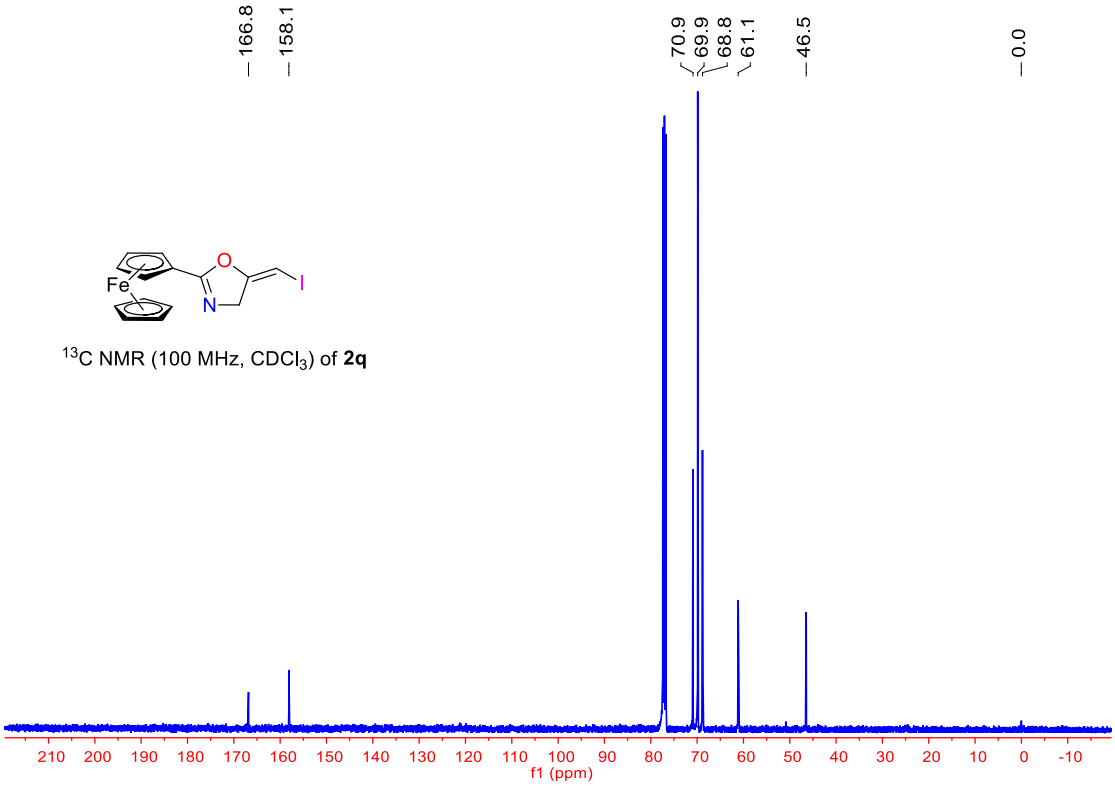
^{1}H NMR (400 MHz, $CDCl_3$) of **2p**



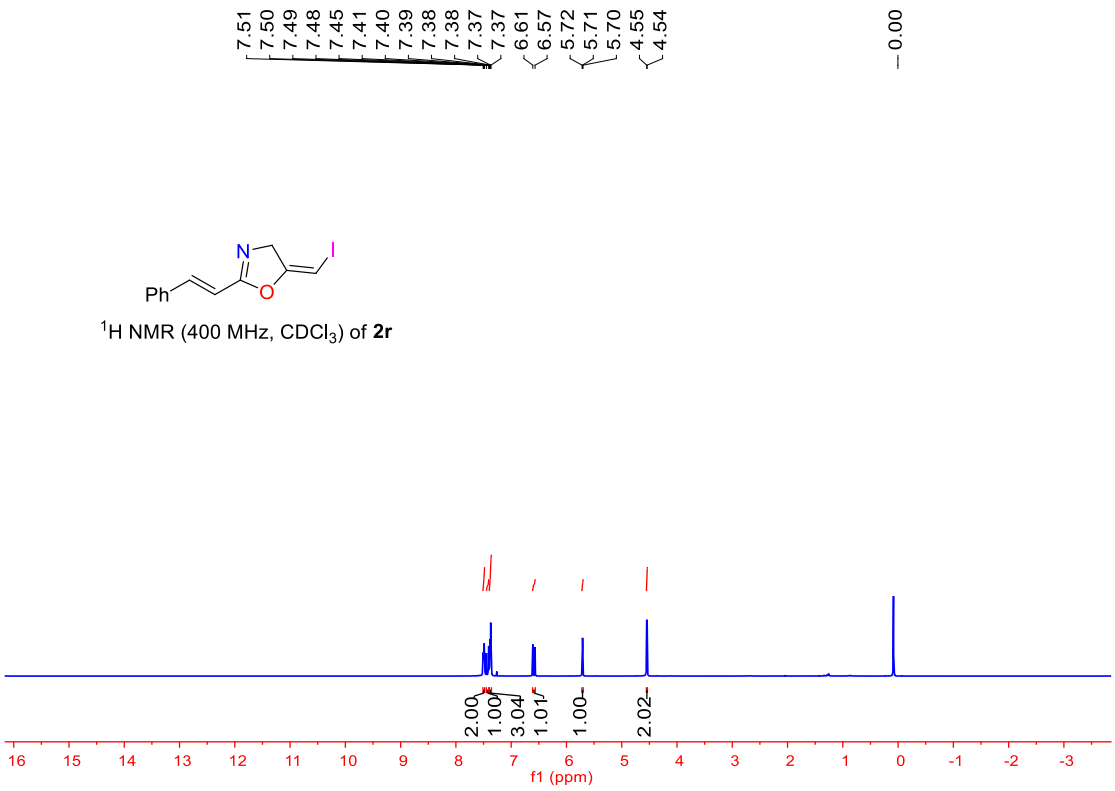
^{13}C NMR (100 MHz, $CDCl_3$) of **2p**



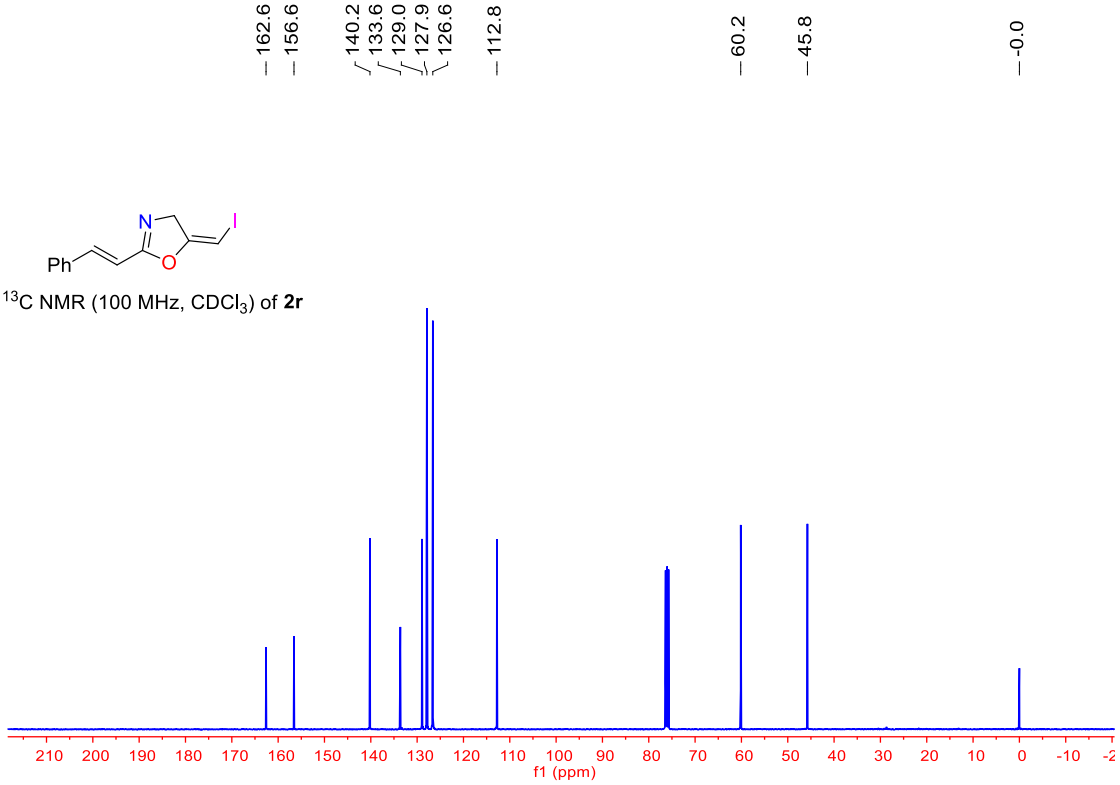
^{1}H NMR (400 MHz, $CDCl_3$) of **2q**



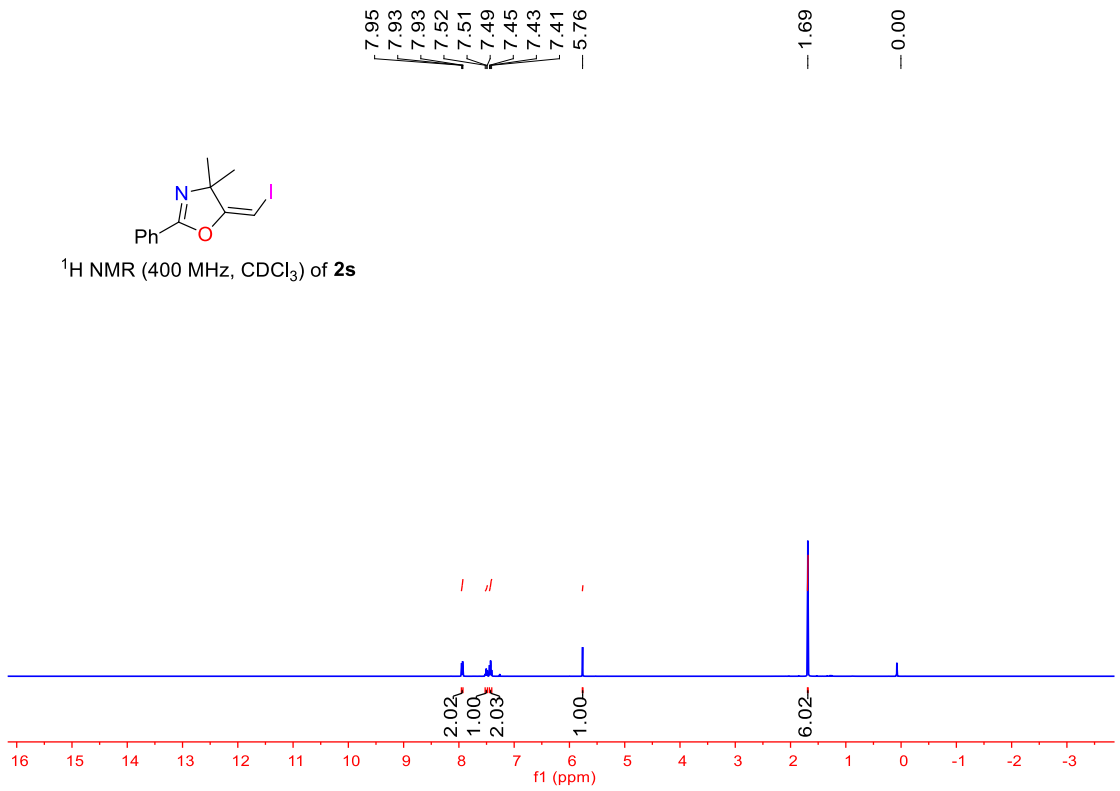
^{13}C NMR (100 MHz, $CDCl_3$) of **2q**



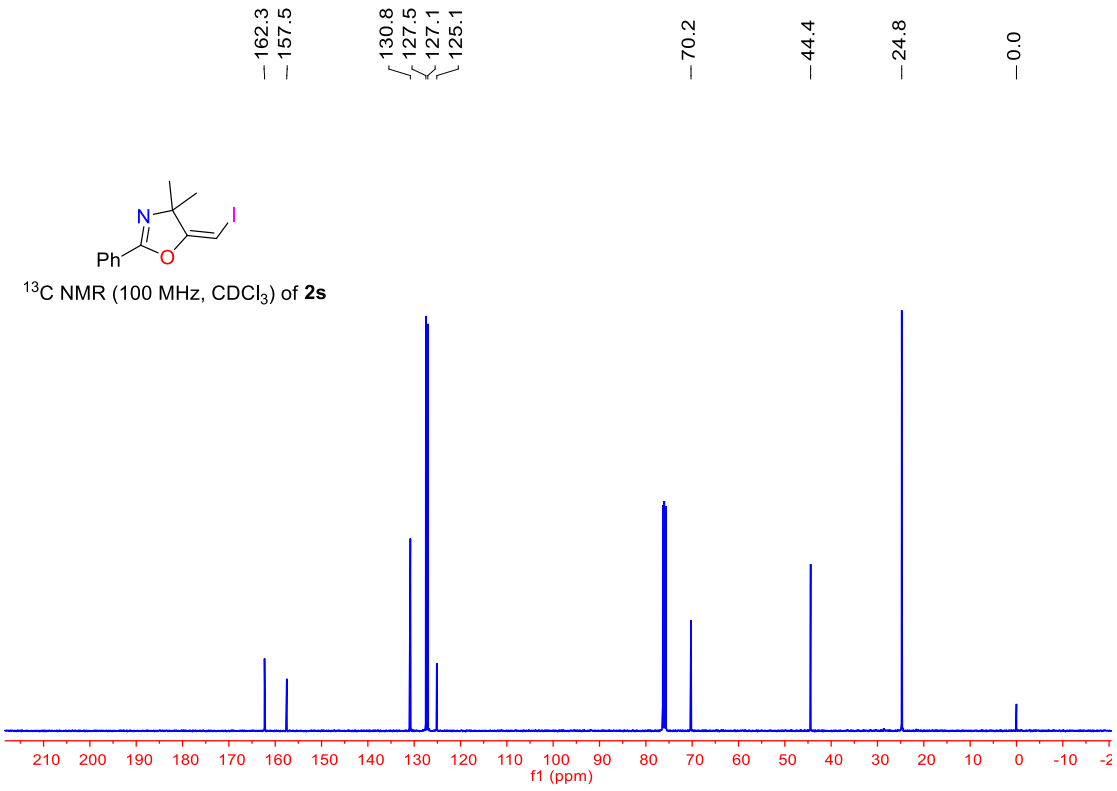
^{1}H NMR (400 MHz, $CDCl_3$) of **2r**



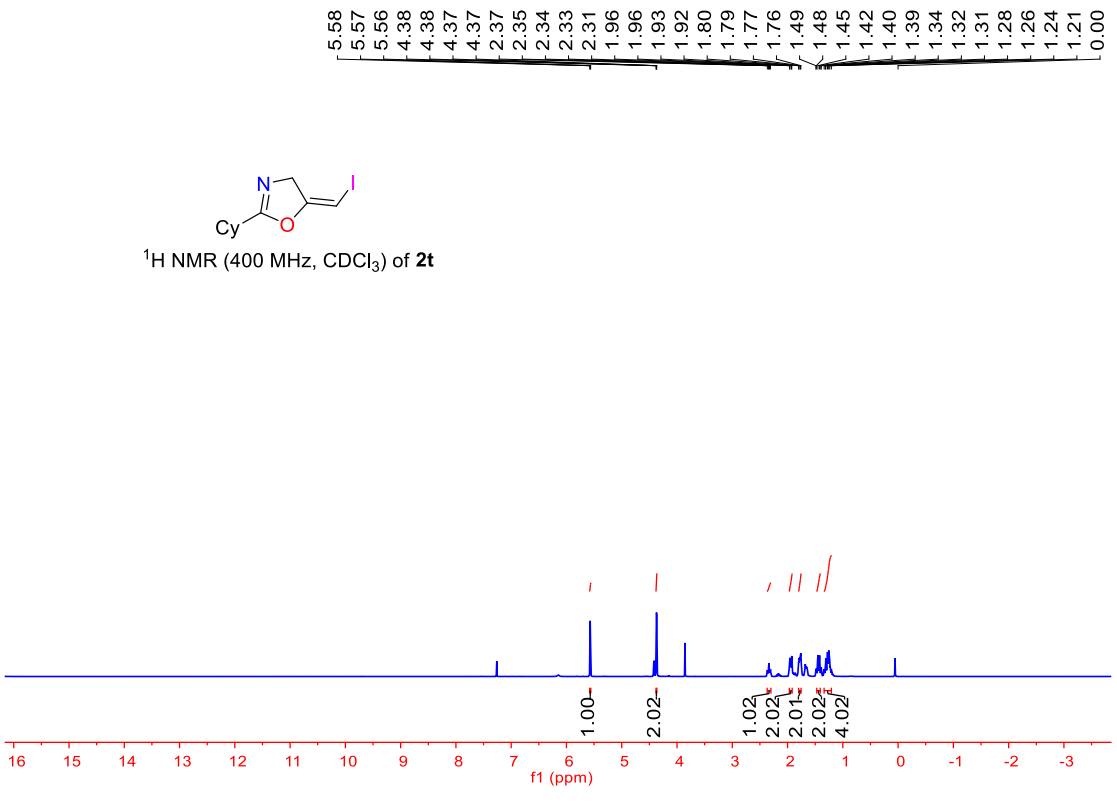
^{13}C NMR (100 MHz, $CDCl_3$) of **2r**



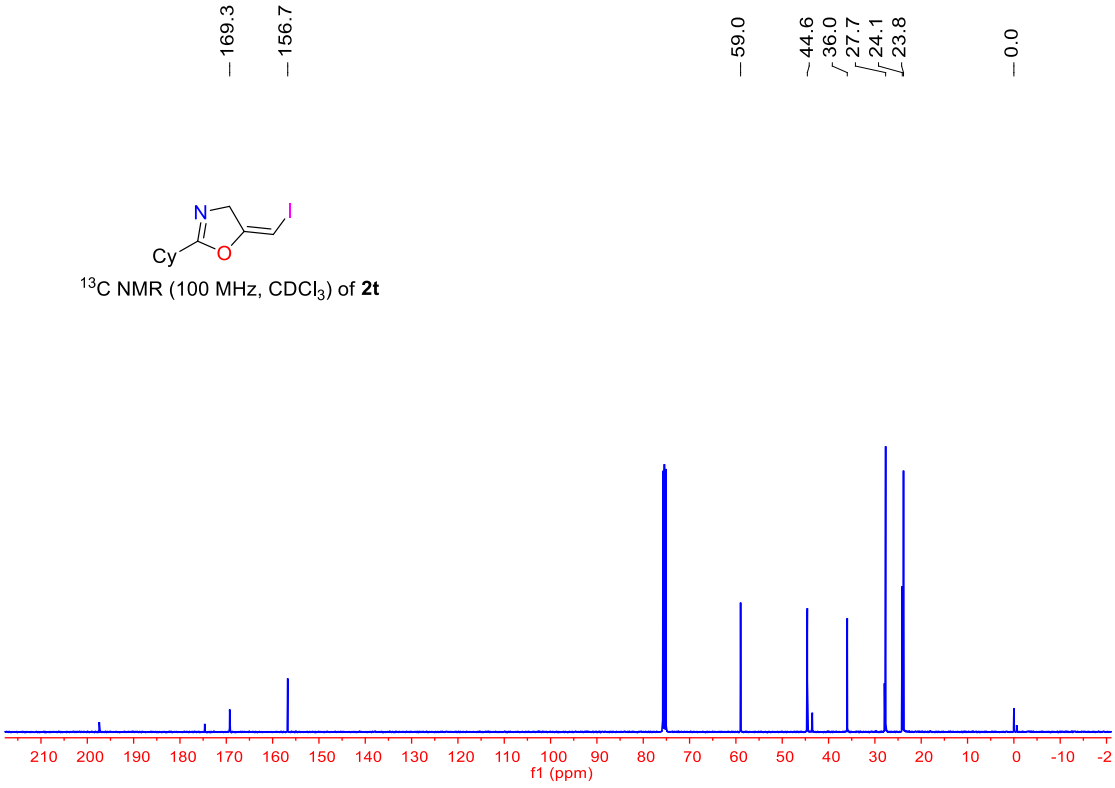
^{1}H NMR (400 MHz, $CDCl_3$) of **2s**



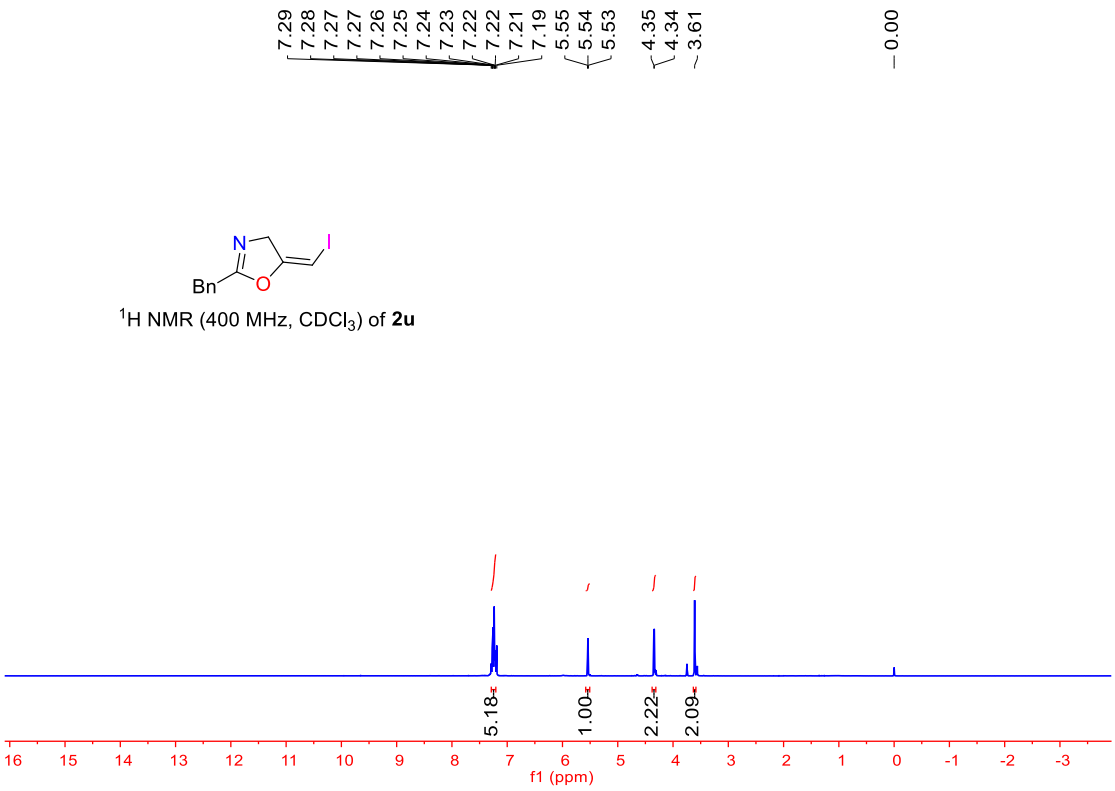
^{13}C NMR (100 MHz, $CDCl_3$) of **2s**



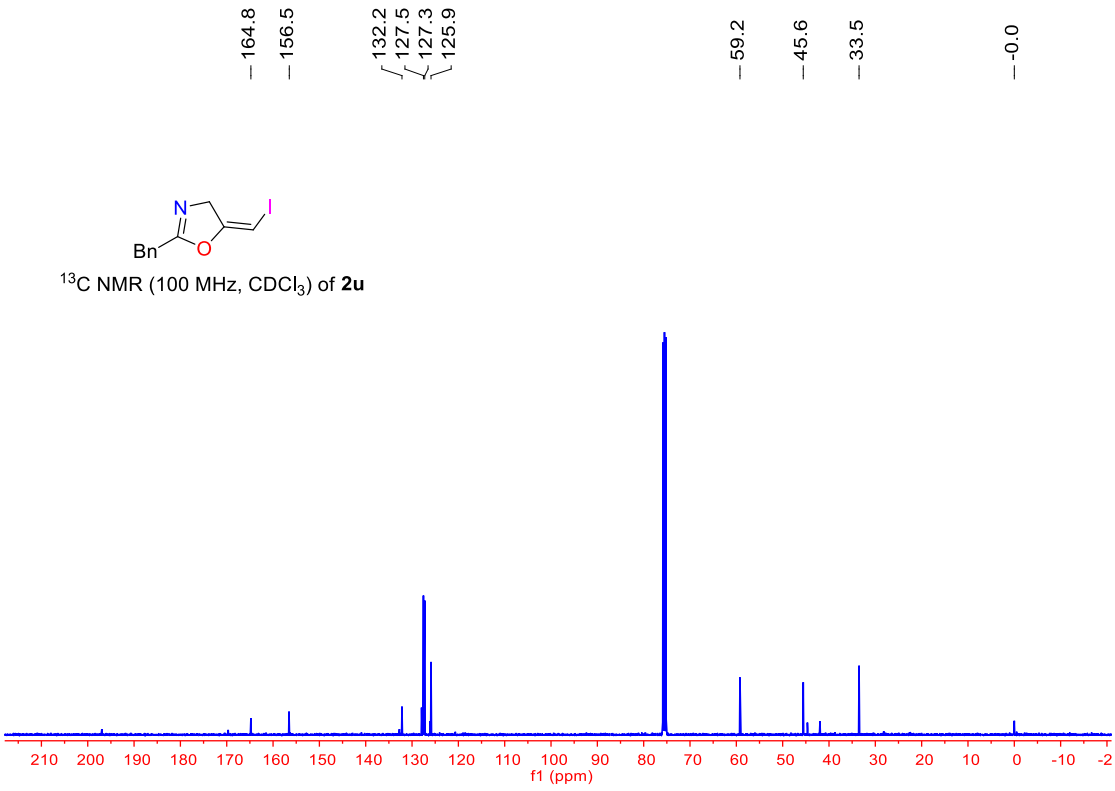
^{1}H NMR (400 MHz, $CDCl_3$) of **2t**



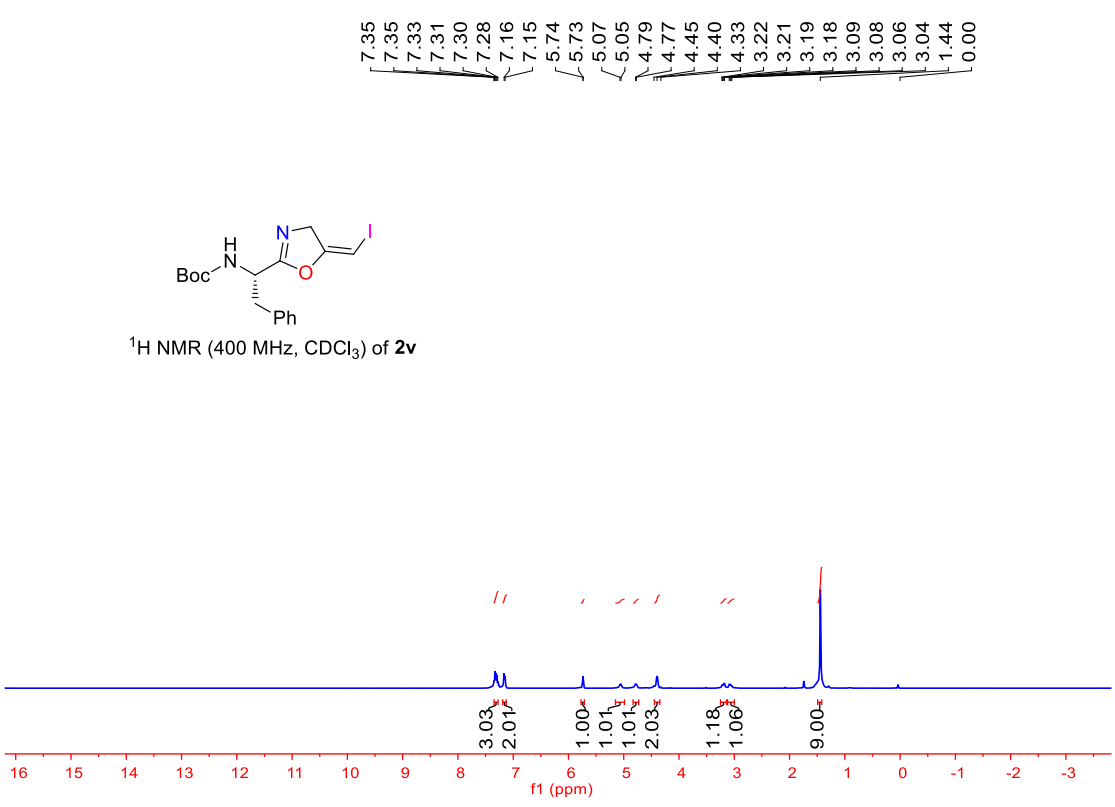
^{13}C NMR (100 MHz, $CDCl_3$) of **2t**



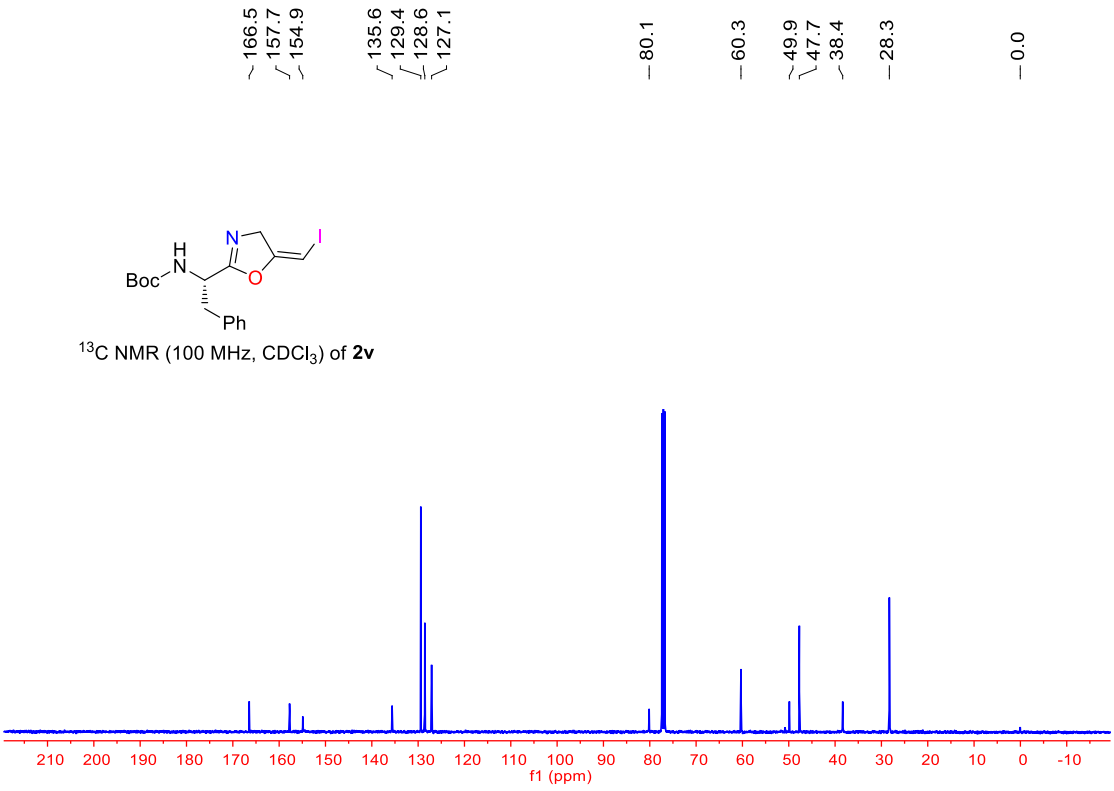
^{1}H NMR (400 MHz, $CDCl_3$) of **2u**



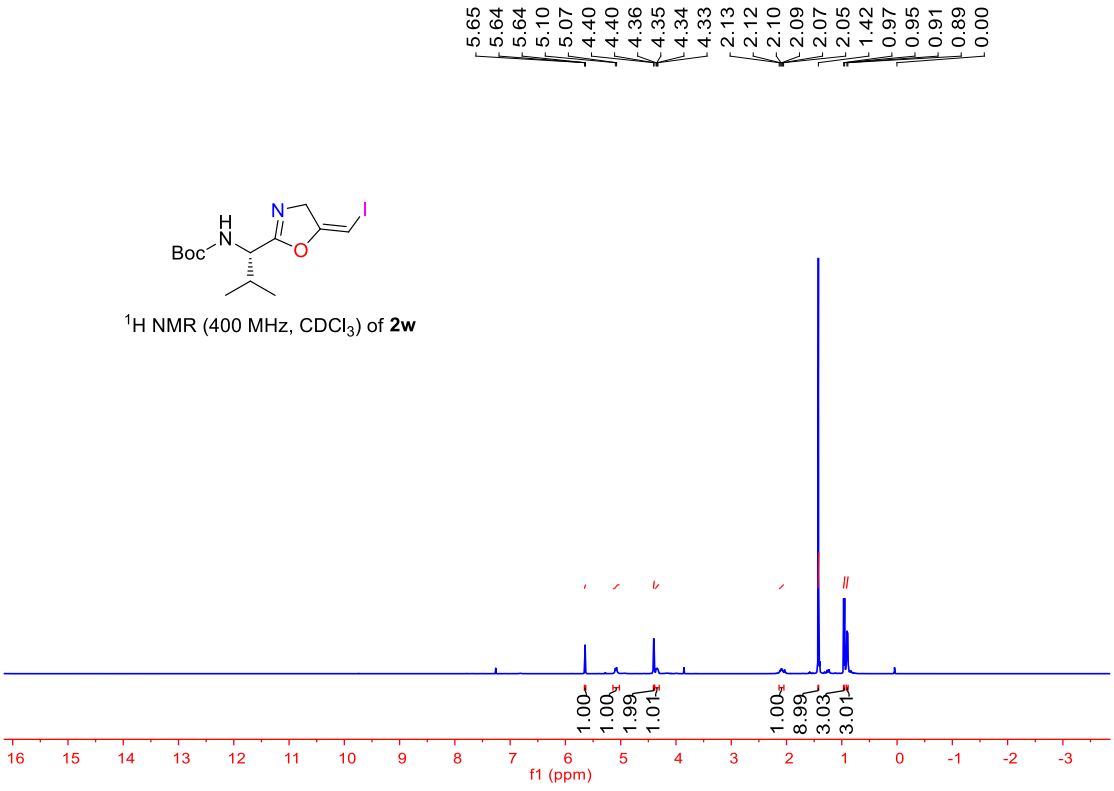
^{13}C NMR (100 MHz, $CDCl_3$) of **2u**



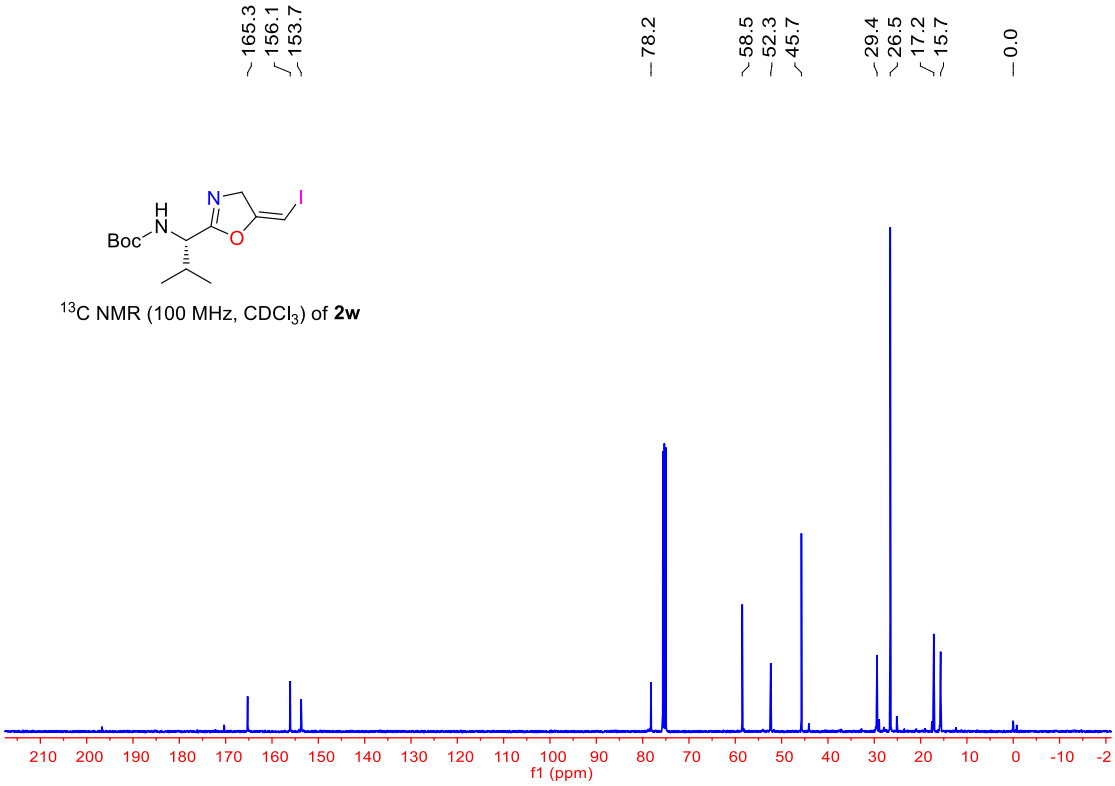
^{1}H NMR (400 MHz, $CDCl_3$) of **2v**



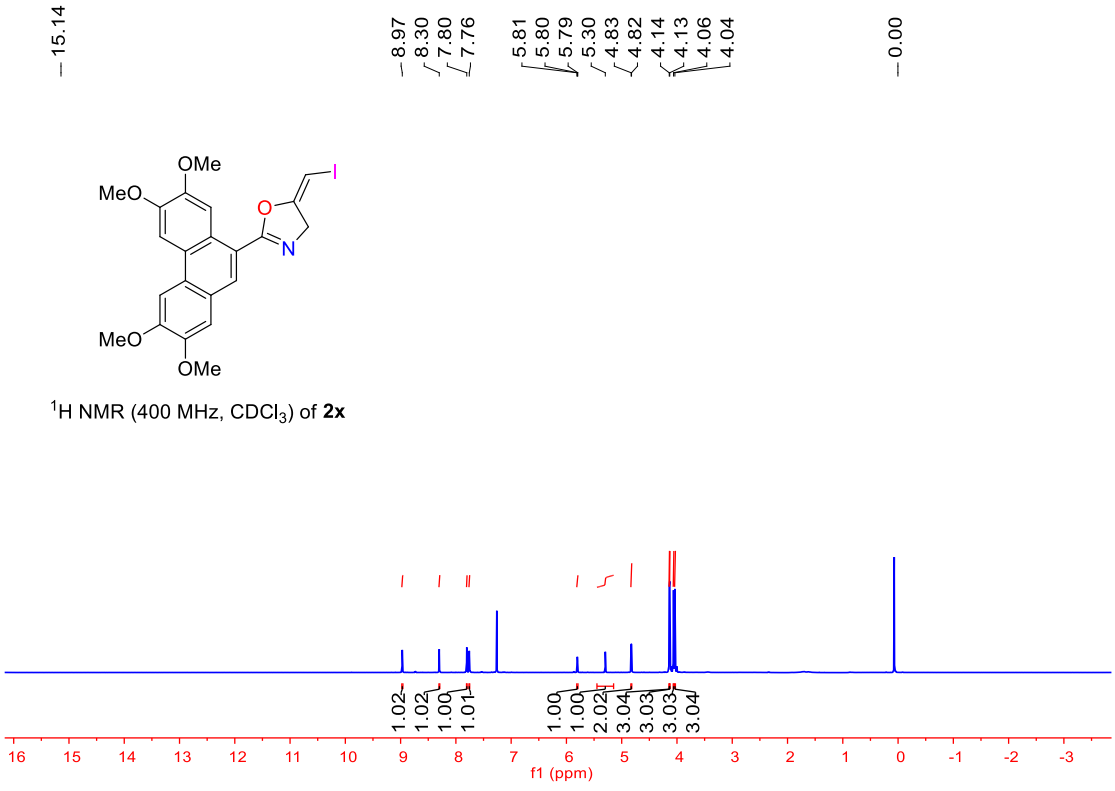
^{13}C NMR (100 MHz, $CDCl_3$) of **2v**



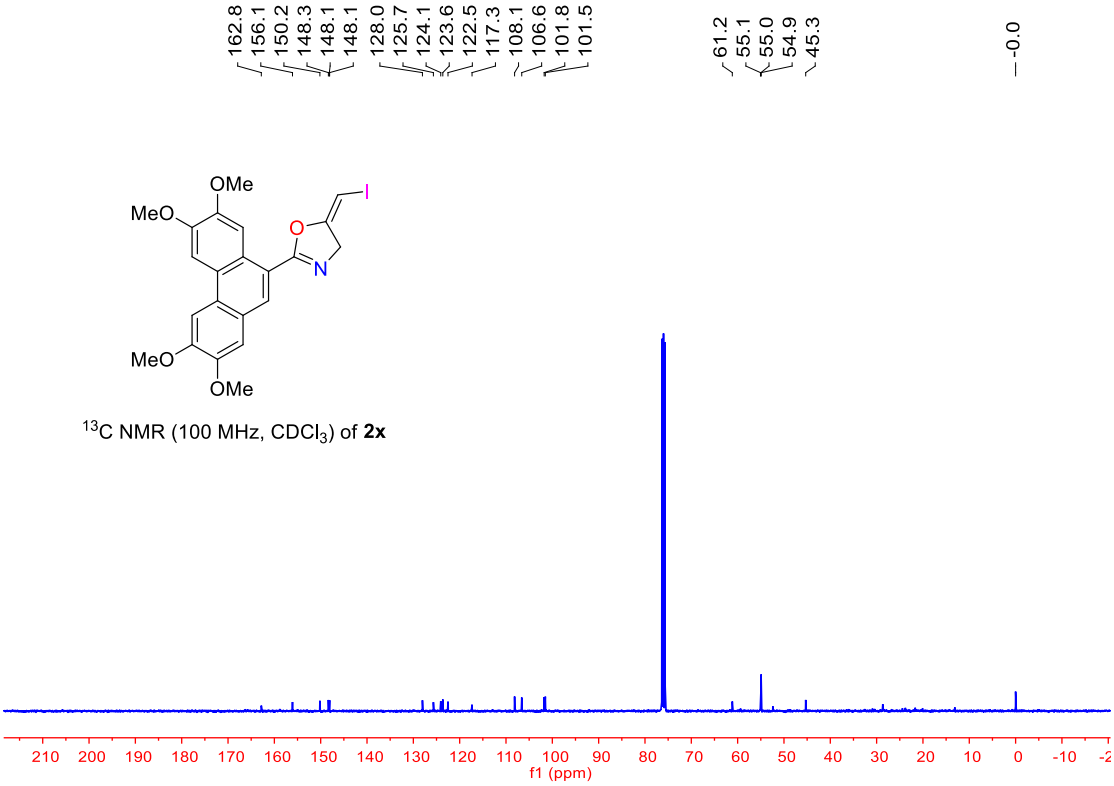
^{1}H NMR (400 MHz, $CDCl_3$) of **2w**



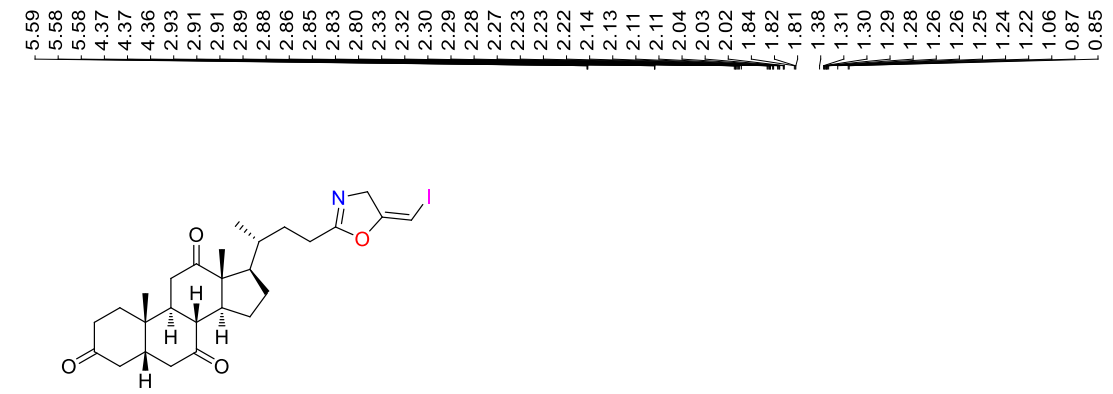
^{13}C NMR (100 MHz, $CDCl_3$) of **2w**



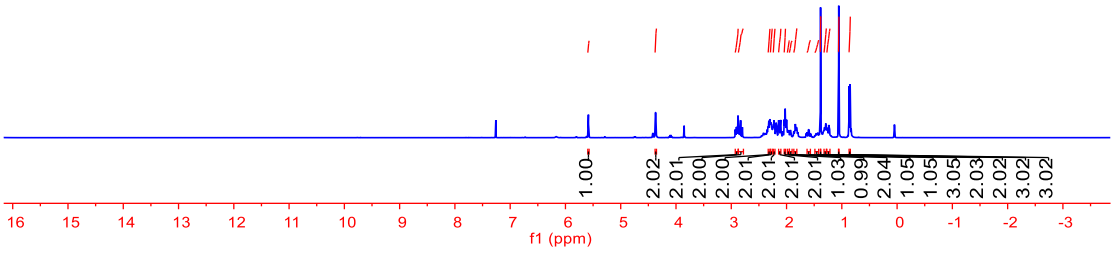
^{1}H NMR (400 MHz, $CDCl_3$) of **2x**

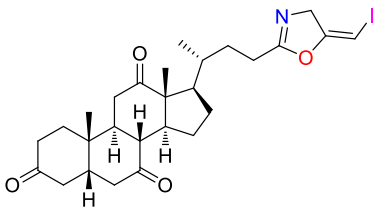


^{13}C NMR (100 MHz, $CDCl_3$) of **2x**

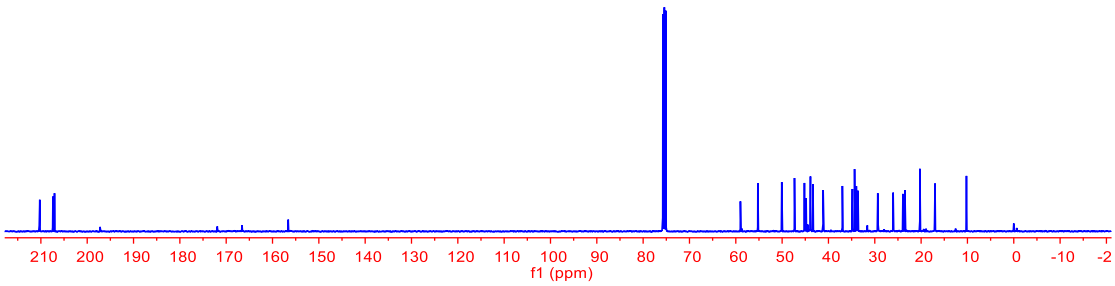


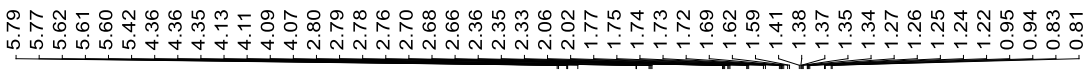
^{1}H NMR (400 MHz, $CDCl_3$) of **2y**

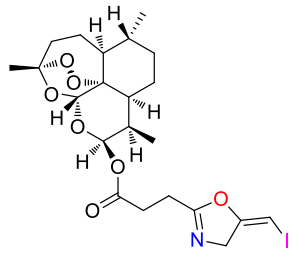




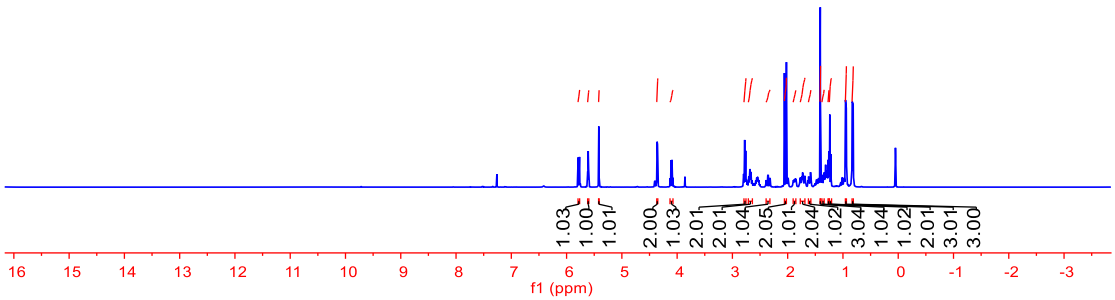
^{13}C NMR (100 MHz, $CDCl_3$) of **2y**

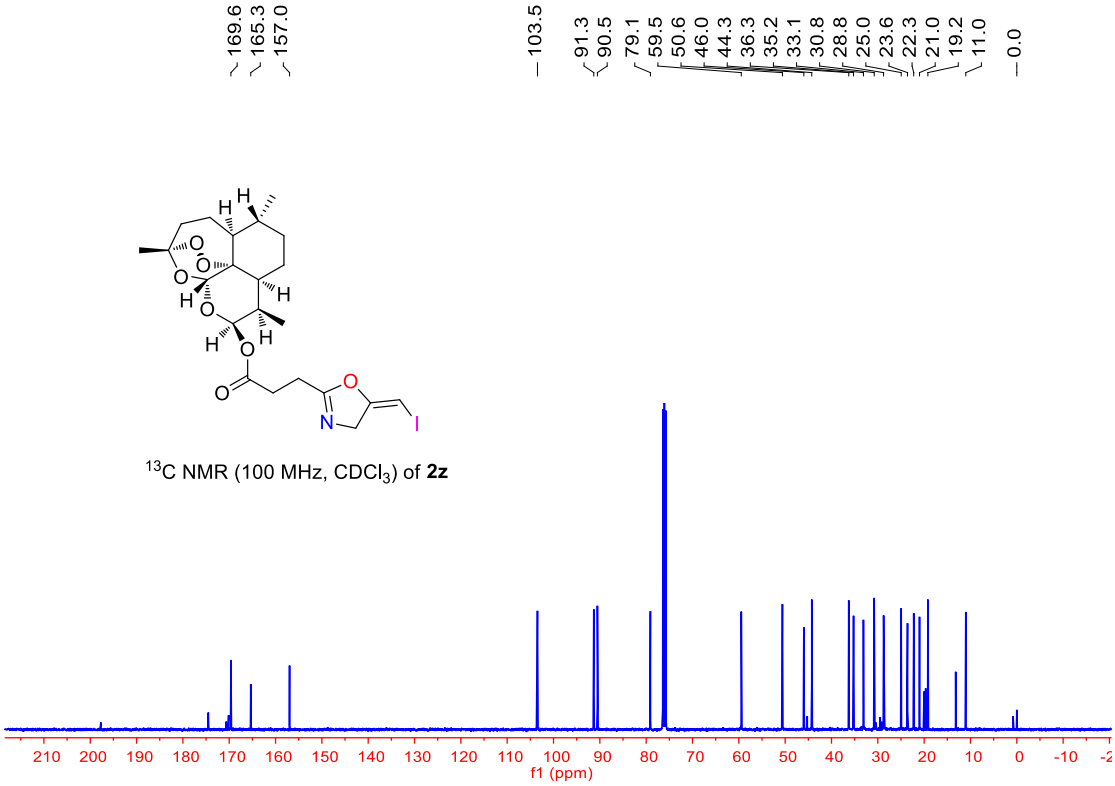




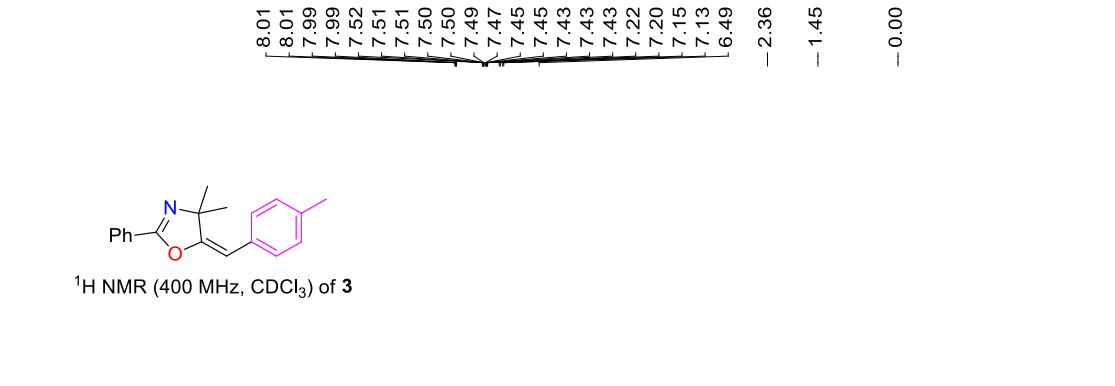


^{1}H NMR (400 MHz, $CDCl_3$) of **2z**

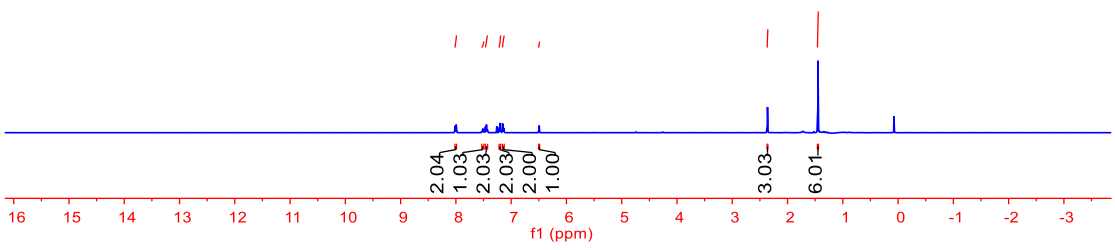


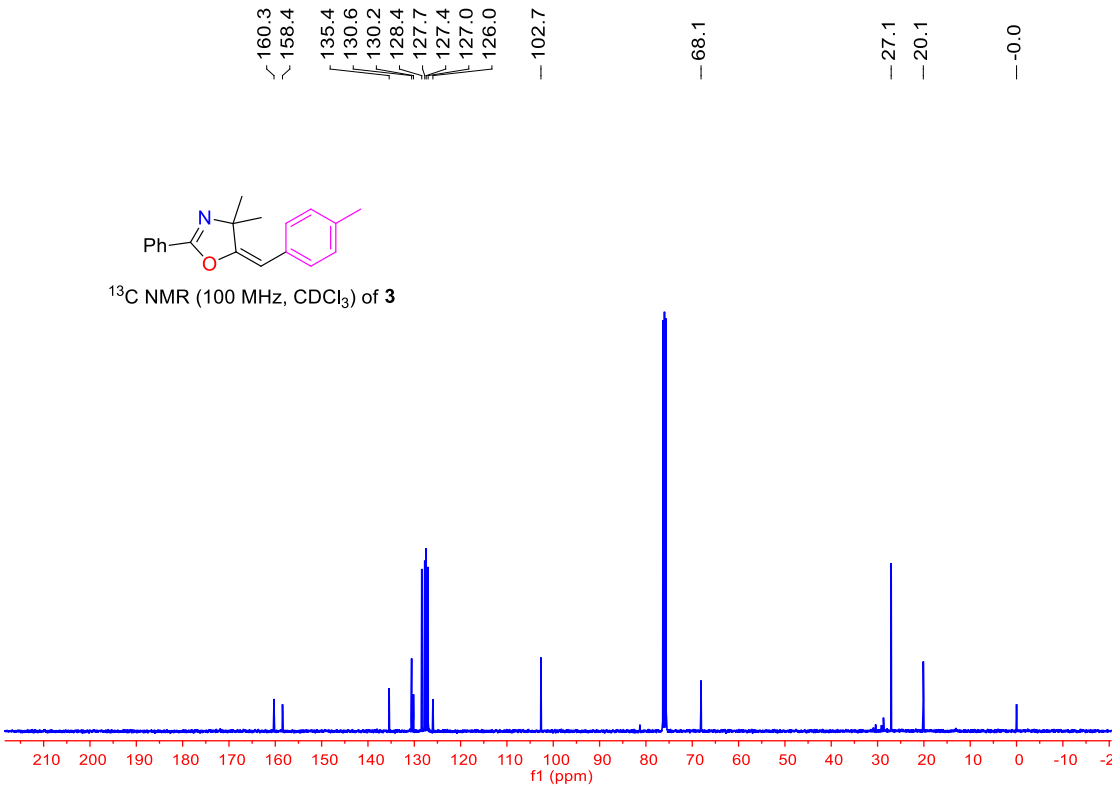


^{13}C NMR (100 MHz, $CDCl_3$) of **2z**

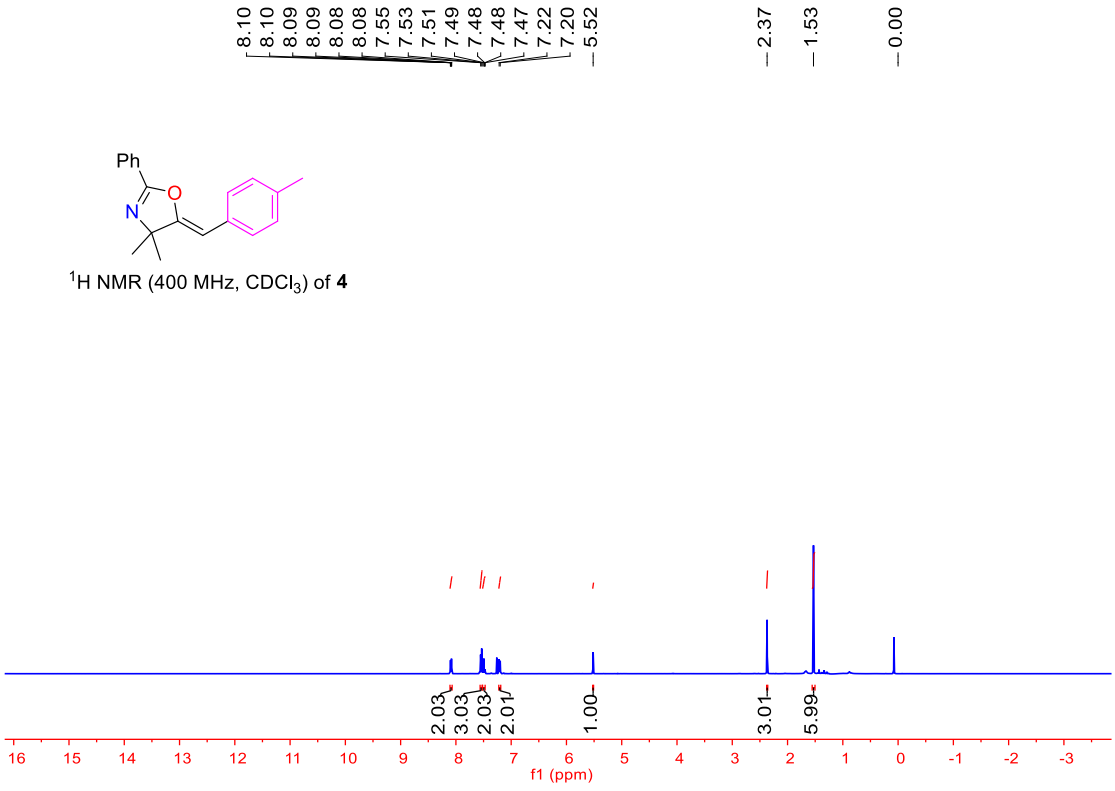


^{1}H NMR (400 MHz, $CDCl_3$) of **3**

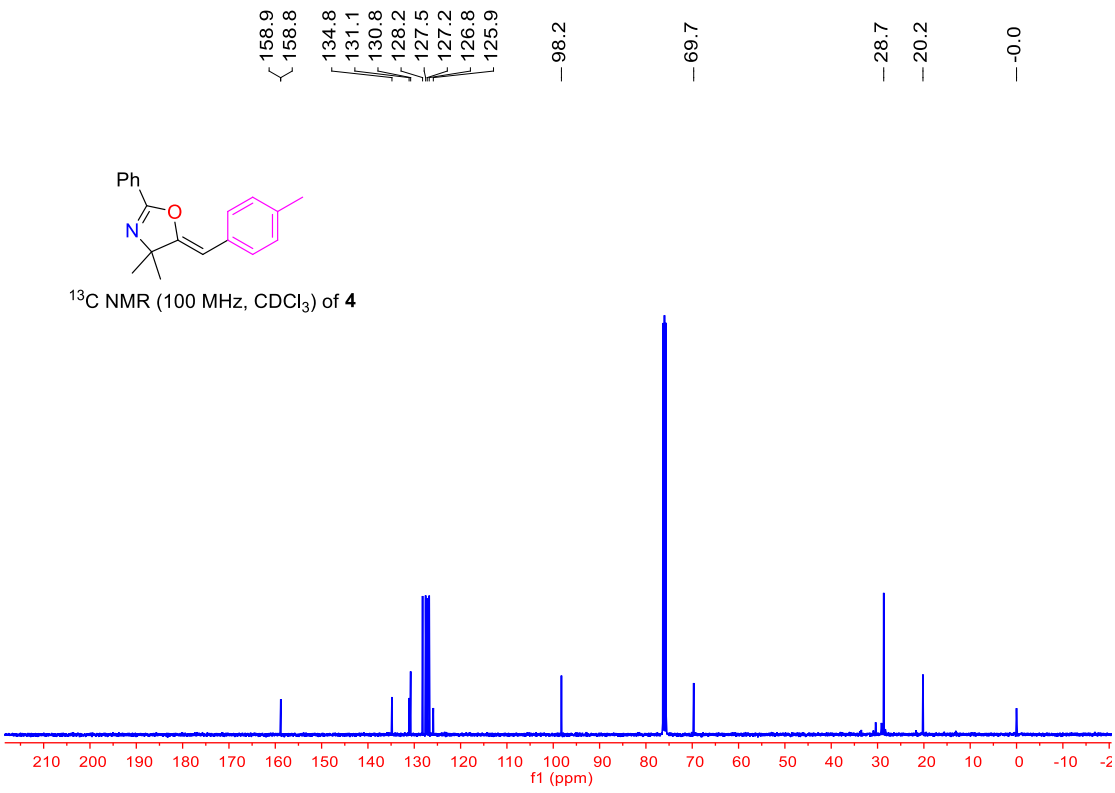


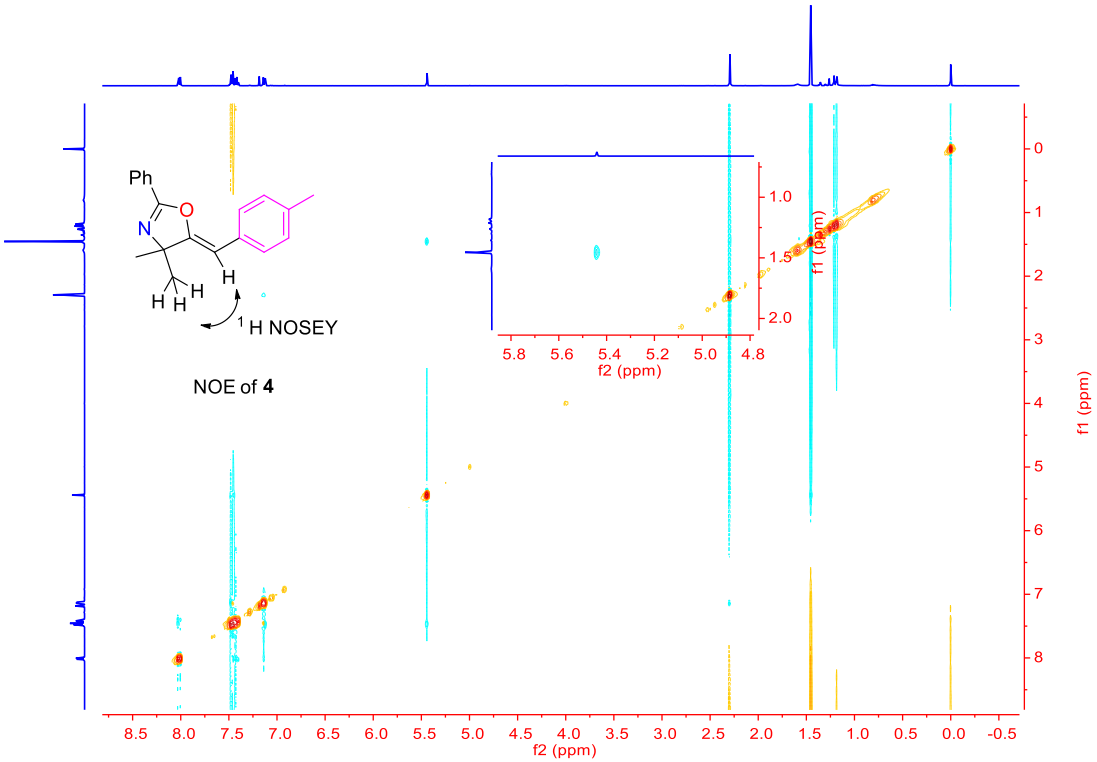


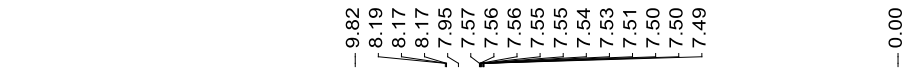
^{13}C NMR (100 MHz, $CDCl_3$) of **3**

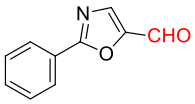


^{1}H NMR (400 MHz, $CDCl_3$) of **4**

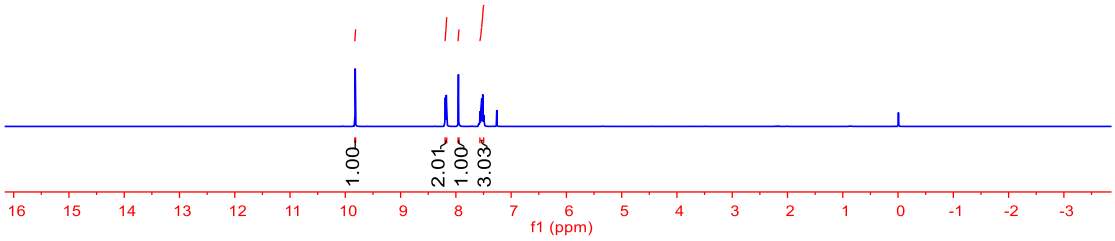

158.9
158.8
134.8
131.1
130.8
128.2
127.5
127.2
126.8
125.9
98.2
69.7
28.7
20.2
-0.0
Ph
O
N
^{13}C NMR (100 MHz, CDCl$_3$) of **4**
f1 (ppm)

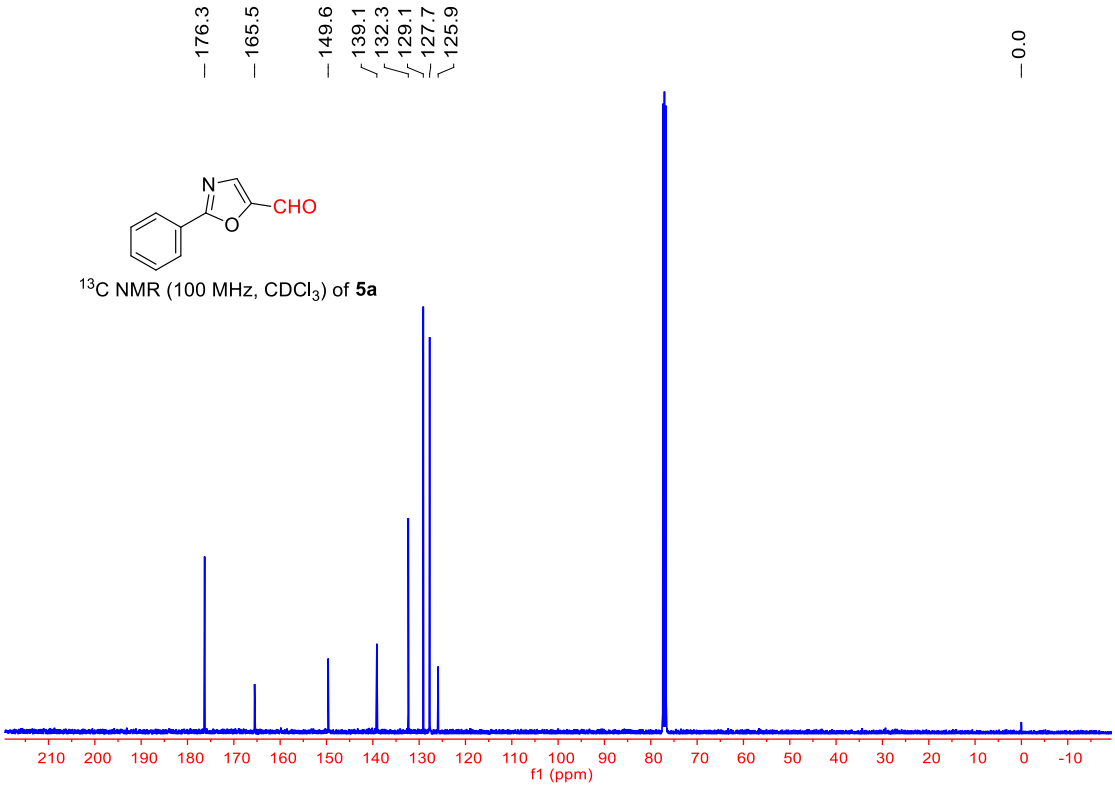

Ph
O
N
H
H H
^{1}H NOSEY
NOE of **4**
f2 (ppm)
f1 (ppm)



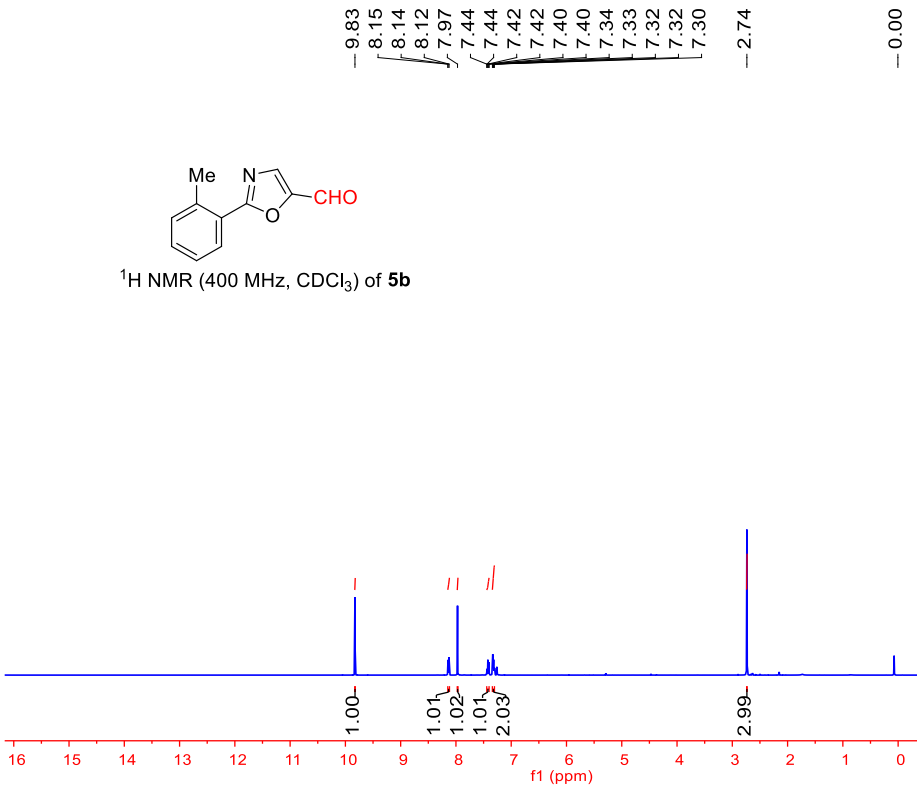


^{1}H NMR (400 MHz, $CDCl_3$) of **5a**

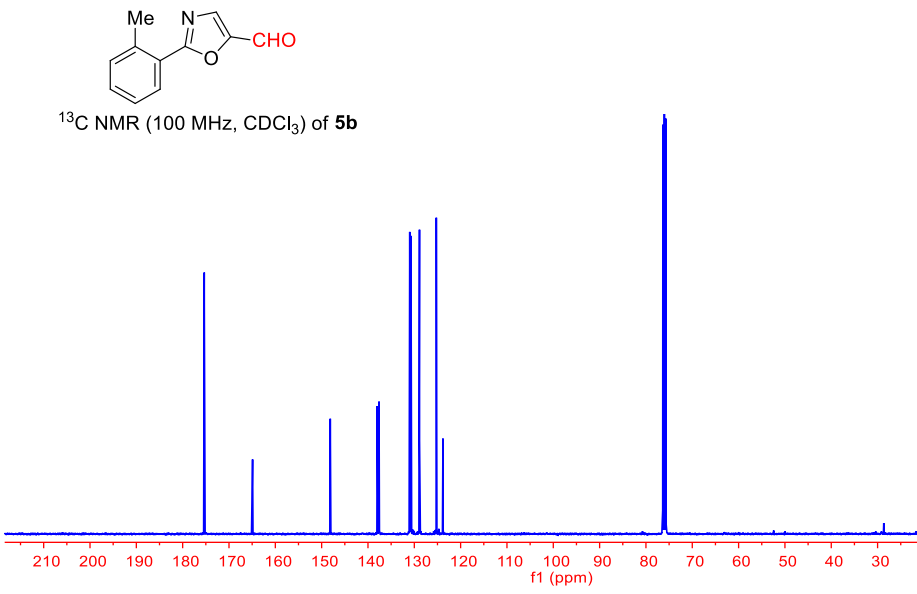




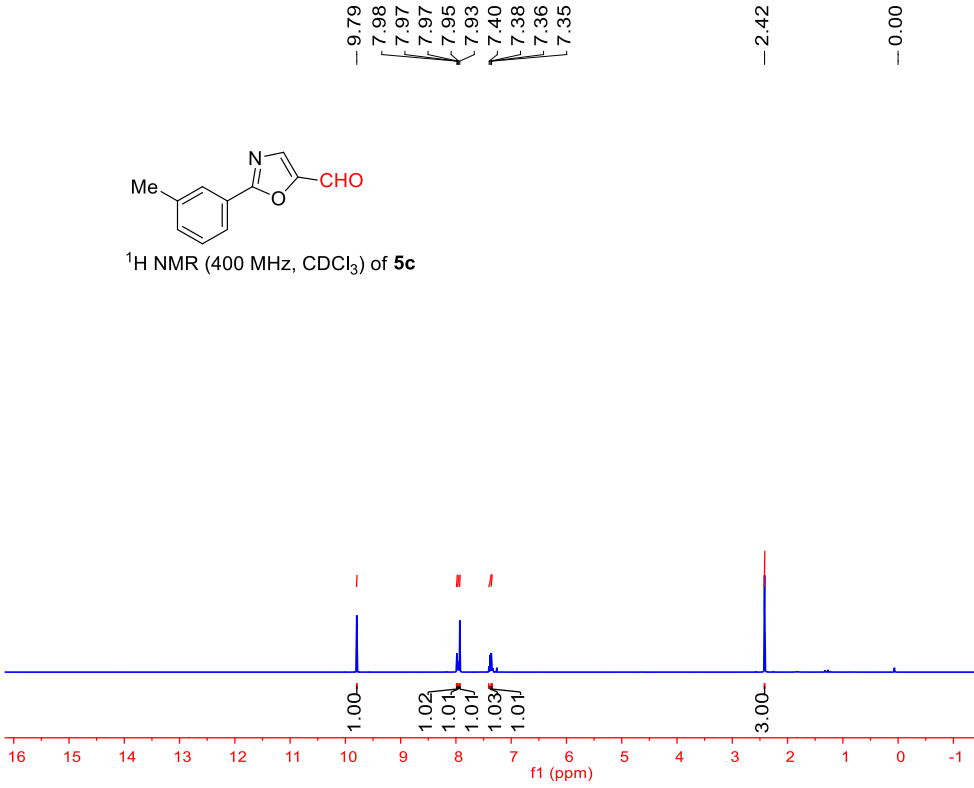
^{13}C NMR (100 MHz, $CDCl_3$) of **5a**



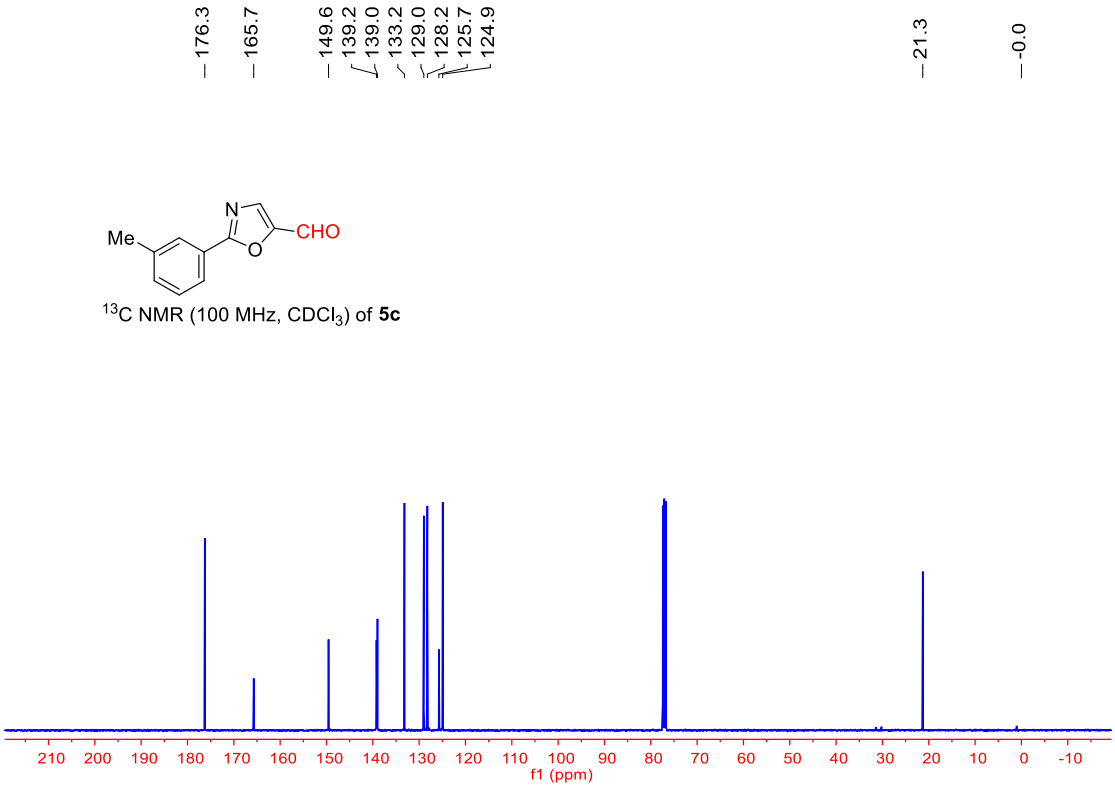
^{1}H NMR (400 MHz, $CDCl_3$) of **5b**



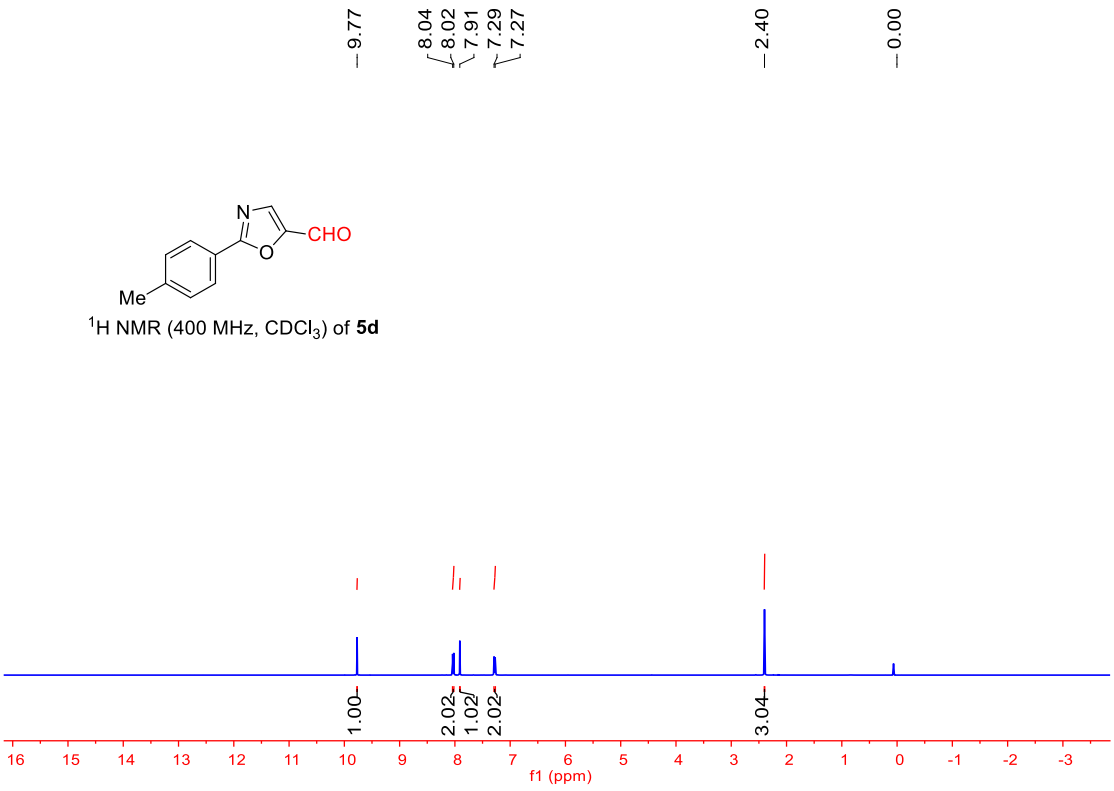
^{13}C NMR (100 MHz, $CDCl_3$) of **5b**



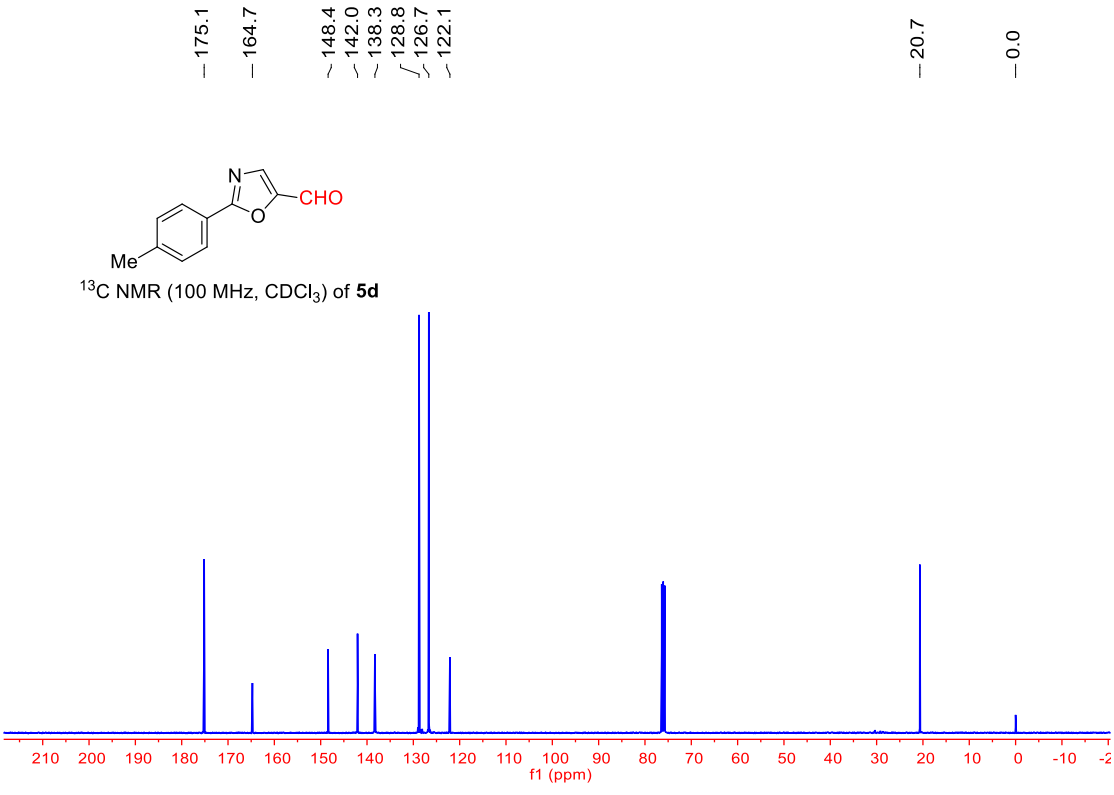
^{1}H NMR (400 MHz, $CDCl_3$) of **5c**



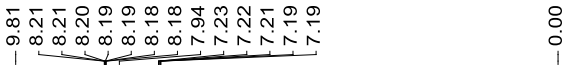
^{13}C NMR (100 MHz, $CDCl_3$) of **5c**

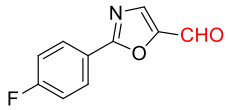


^{1}H NMR (400 MHz, $CDCl_3$) of **5d**

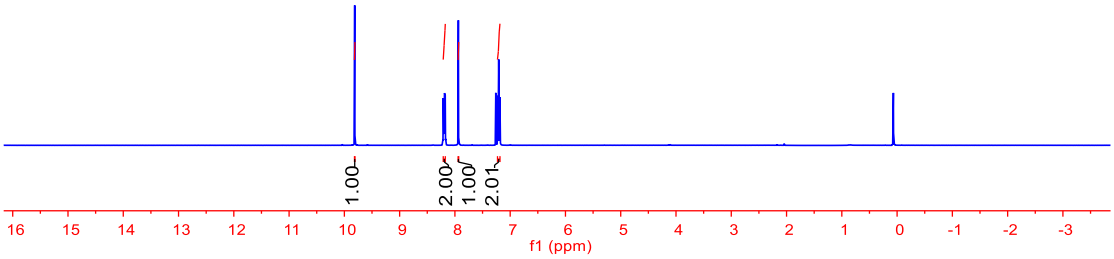


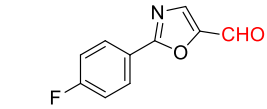
^{13}C NMR (100 MHz, $CDCl_3$) of **5d**



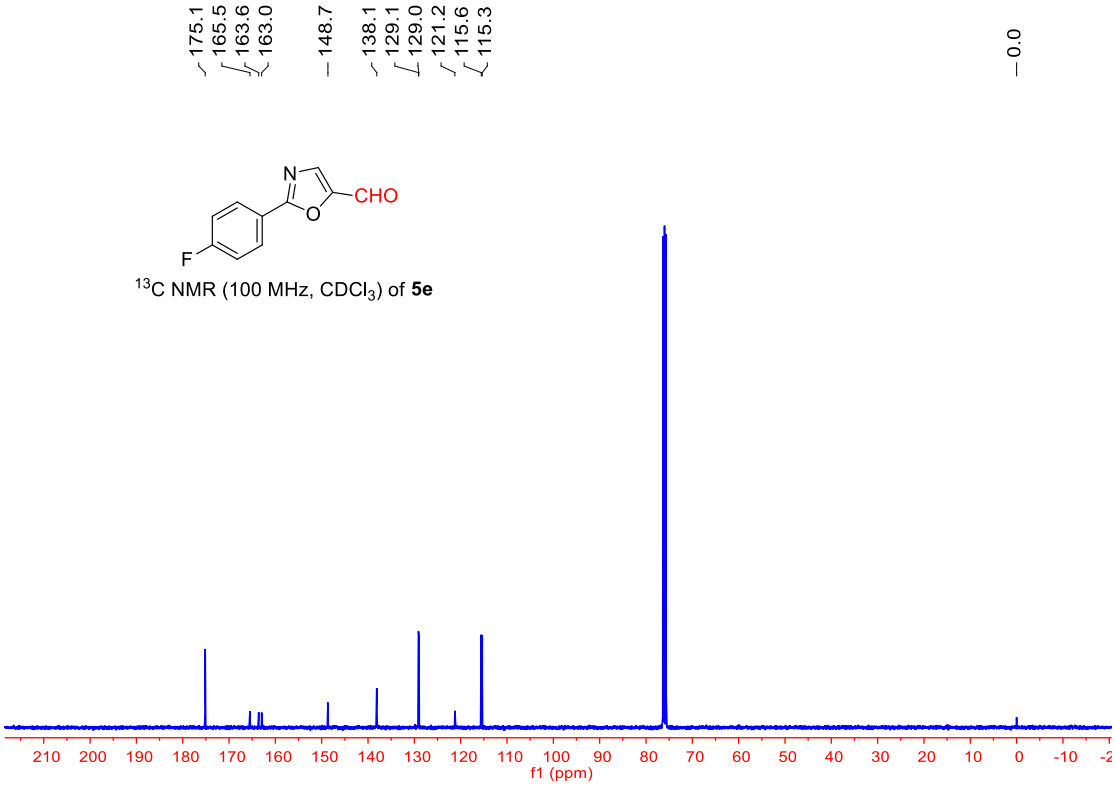


^{1}H NMR (400 MHz, $CDCl_3$) of **5e**

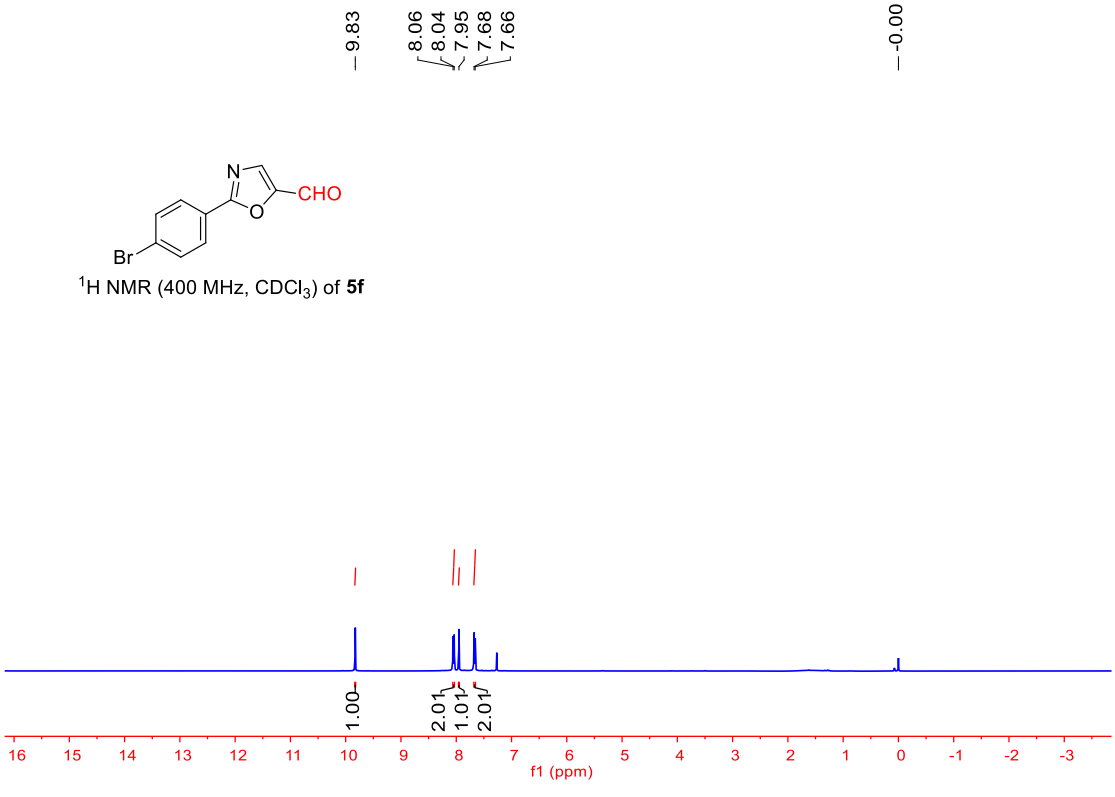




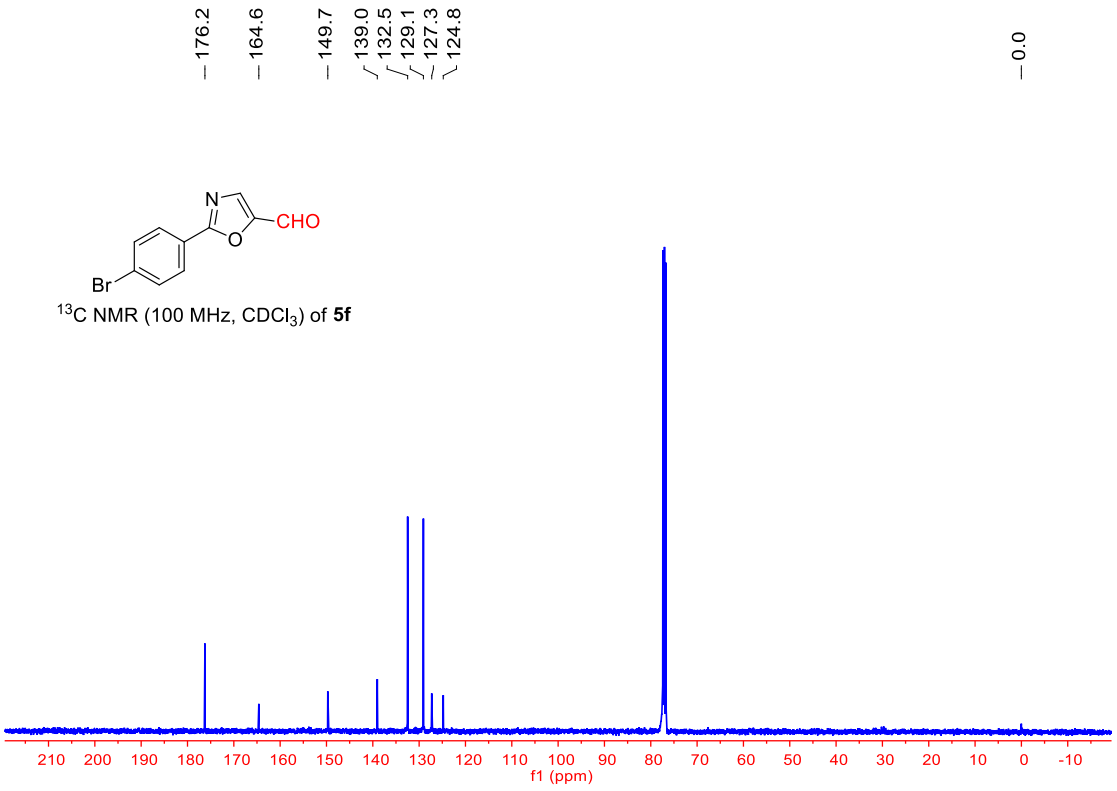
^{19}F NMR (376 MHz, $CDCl_3$) of **5e**



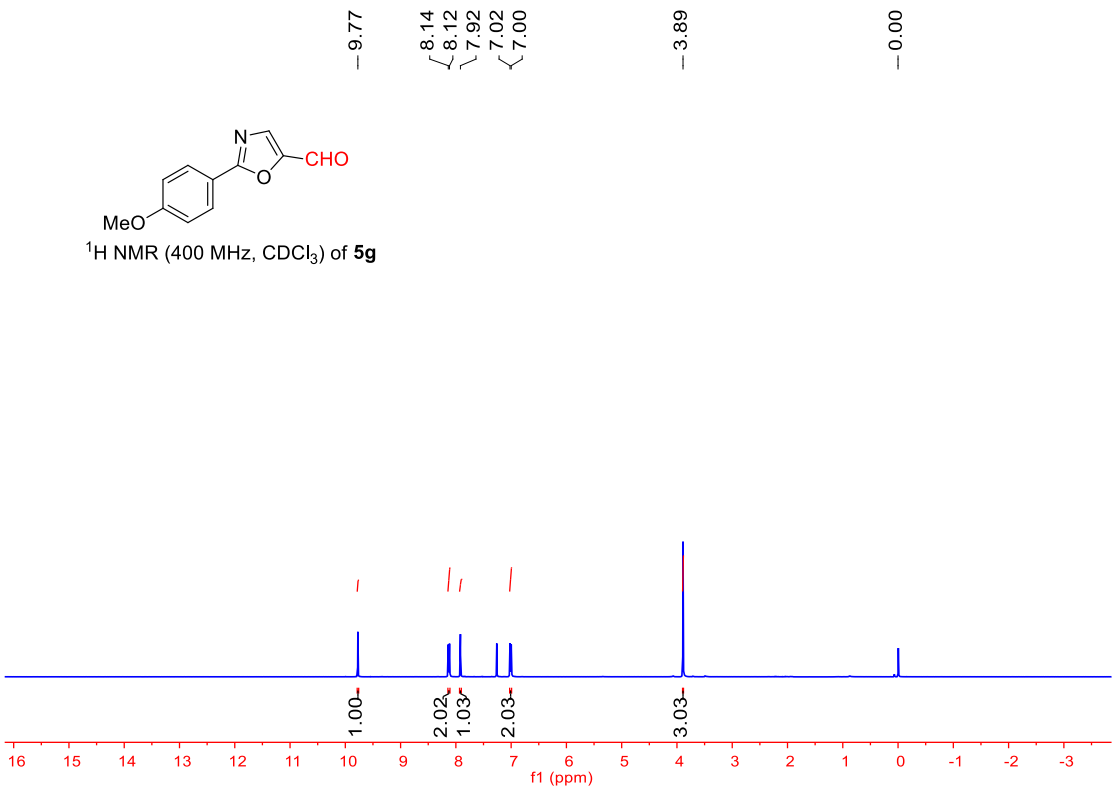
^{13}C NMR (100 MHz, $CDCl_3$) of **5e**



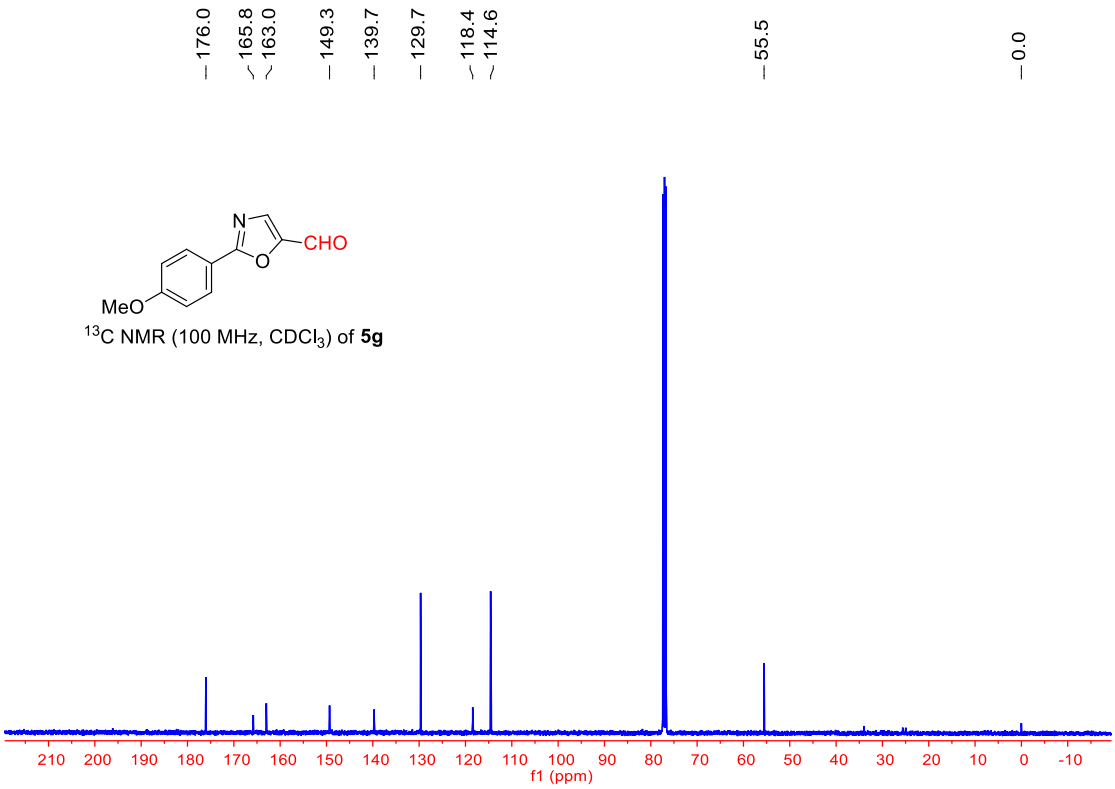
^{1}H NMR (400 MHz, $CDCl_3$) of **5f**



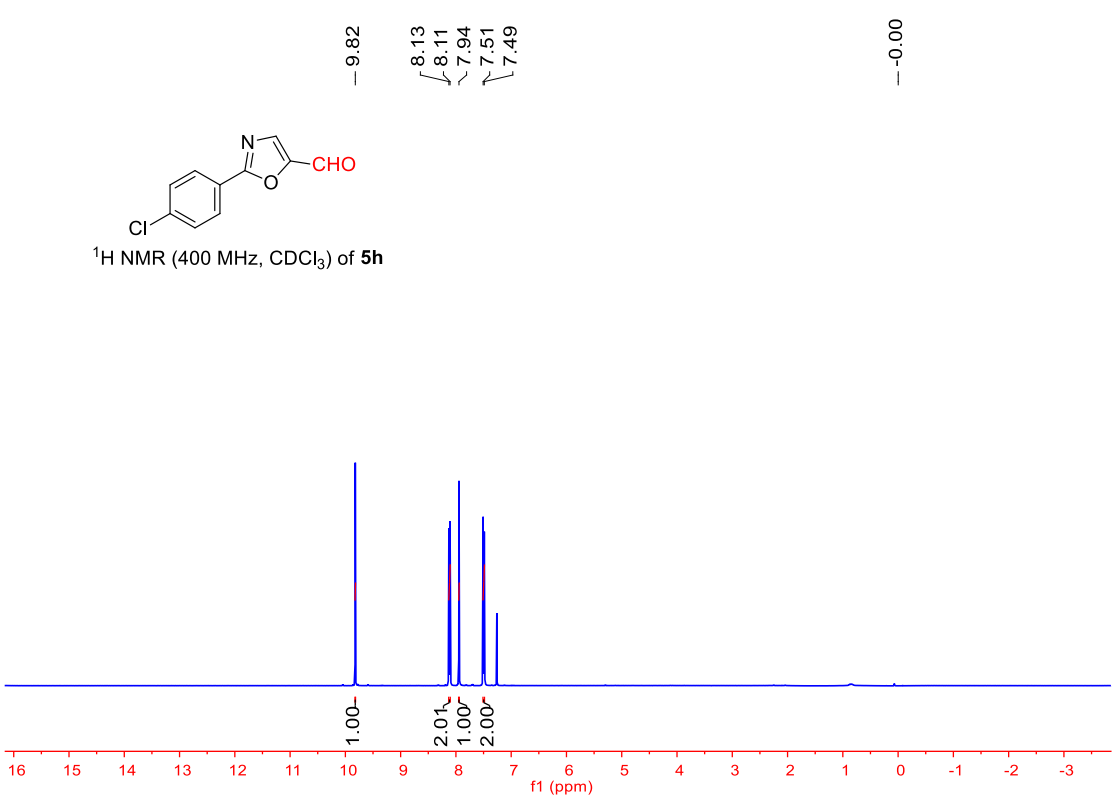
^{13}C NMR (100 MHz, $CDCl_3$) of **5f**



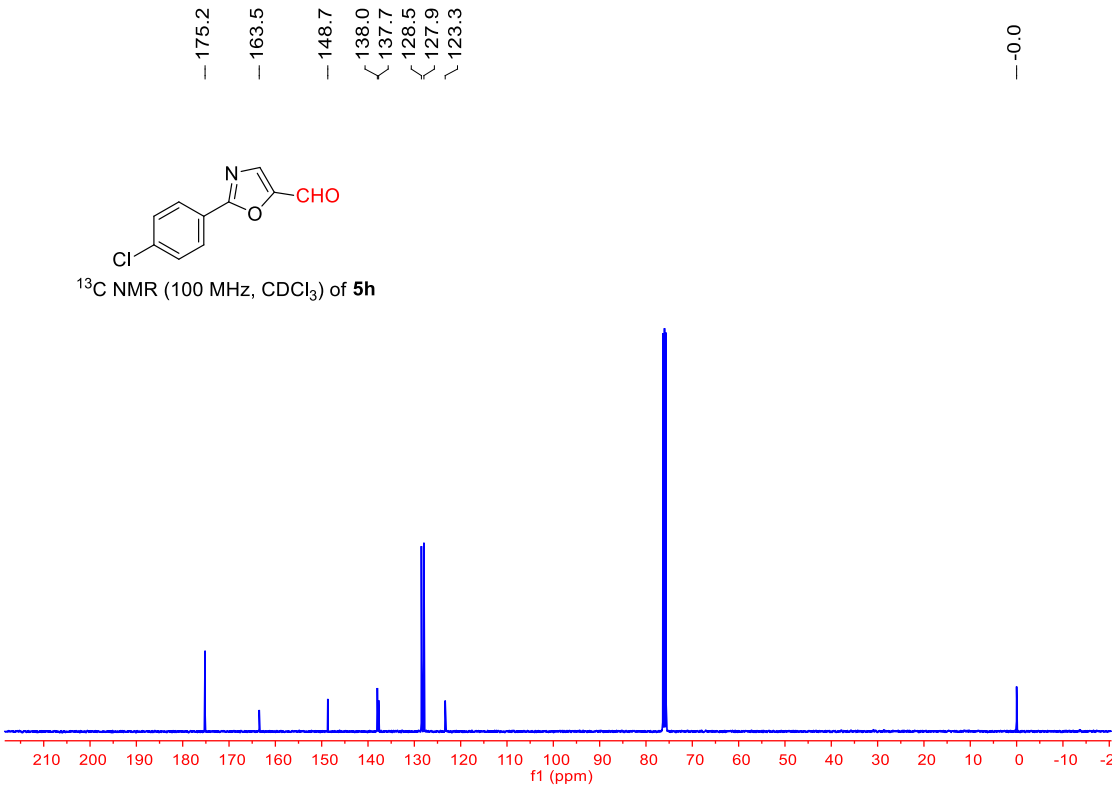
^{1}H NMR (400 MHz, $CDCl_3$) of **5g**



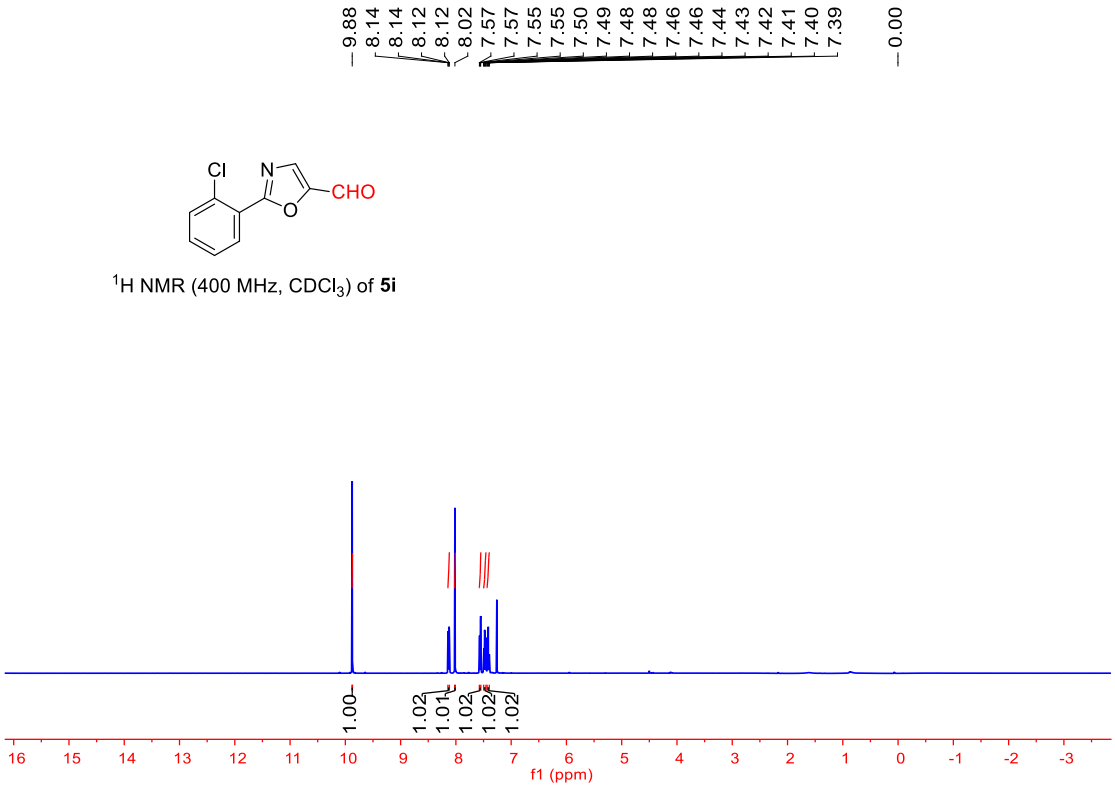
^{13}C NMR (100 MHz, $CDCl_3$) of **5g**



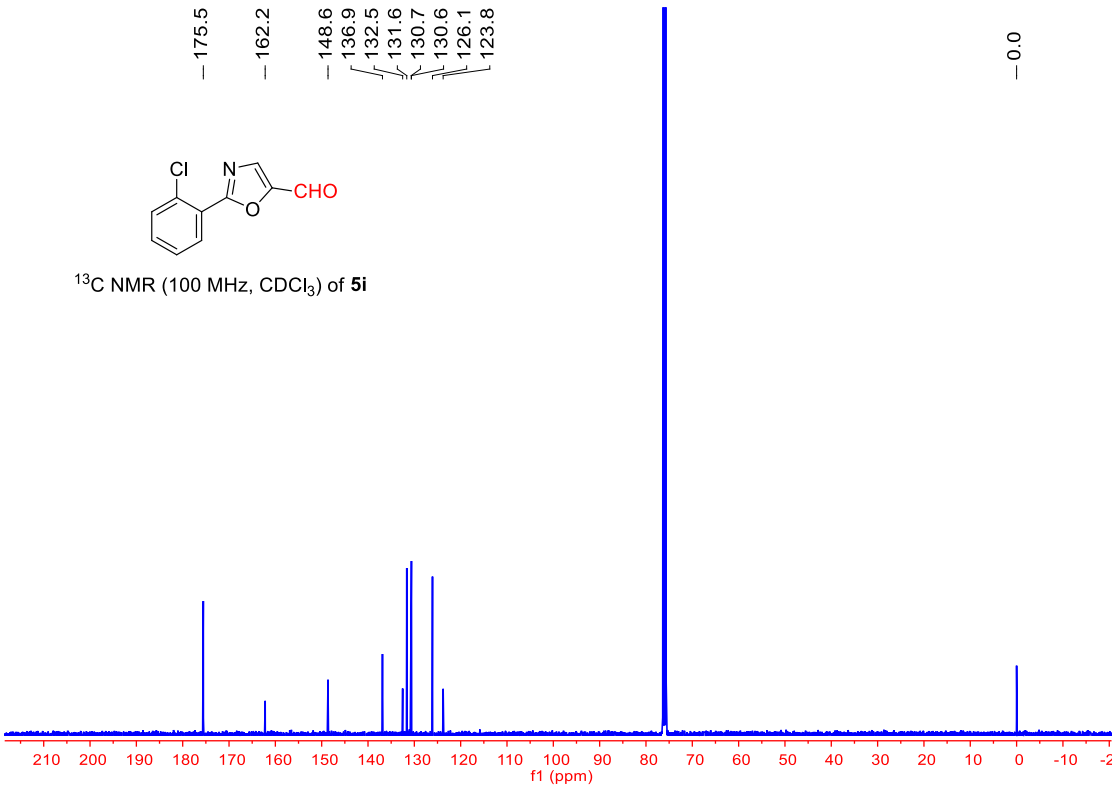
^{1}H NMR (400 MHz, $CDCl_3$) of **5h**



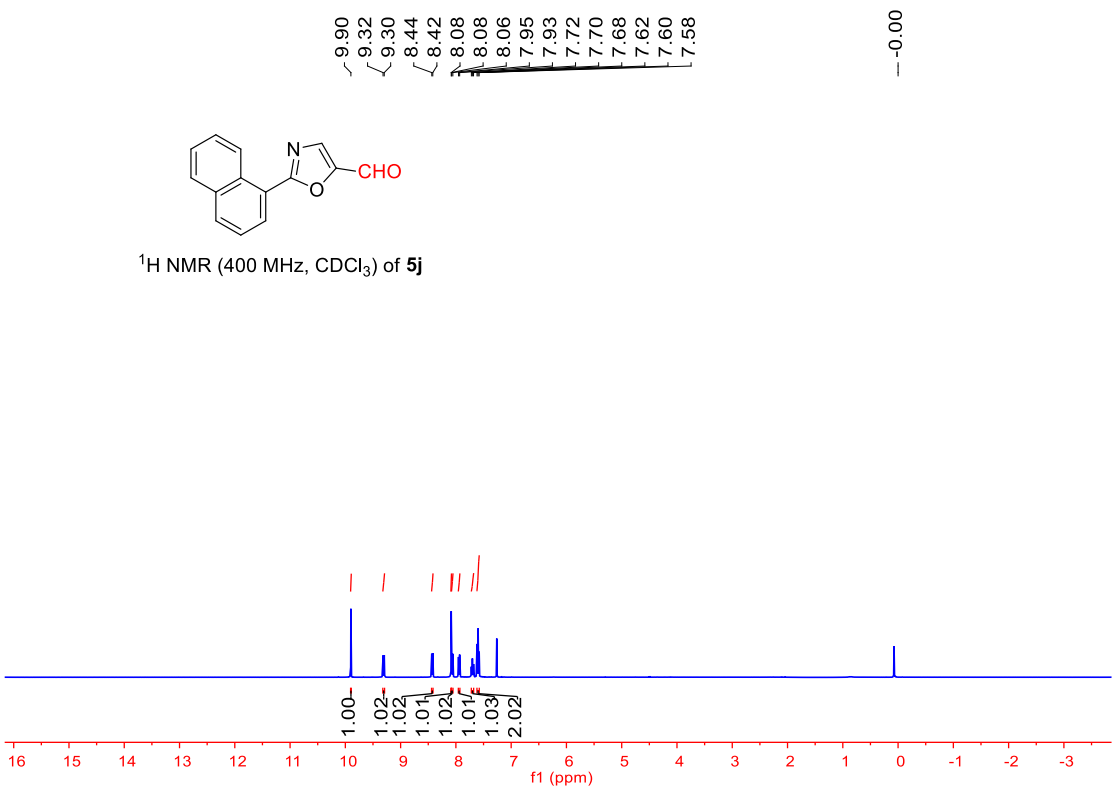
^{13}C NMR (100 MHz, $CDCl_3$) of **5h**



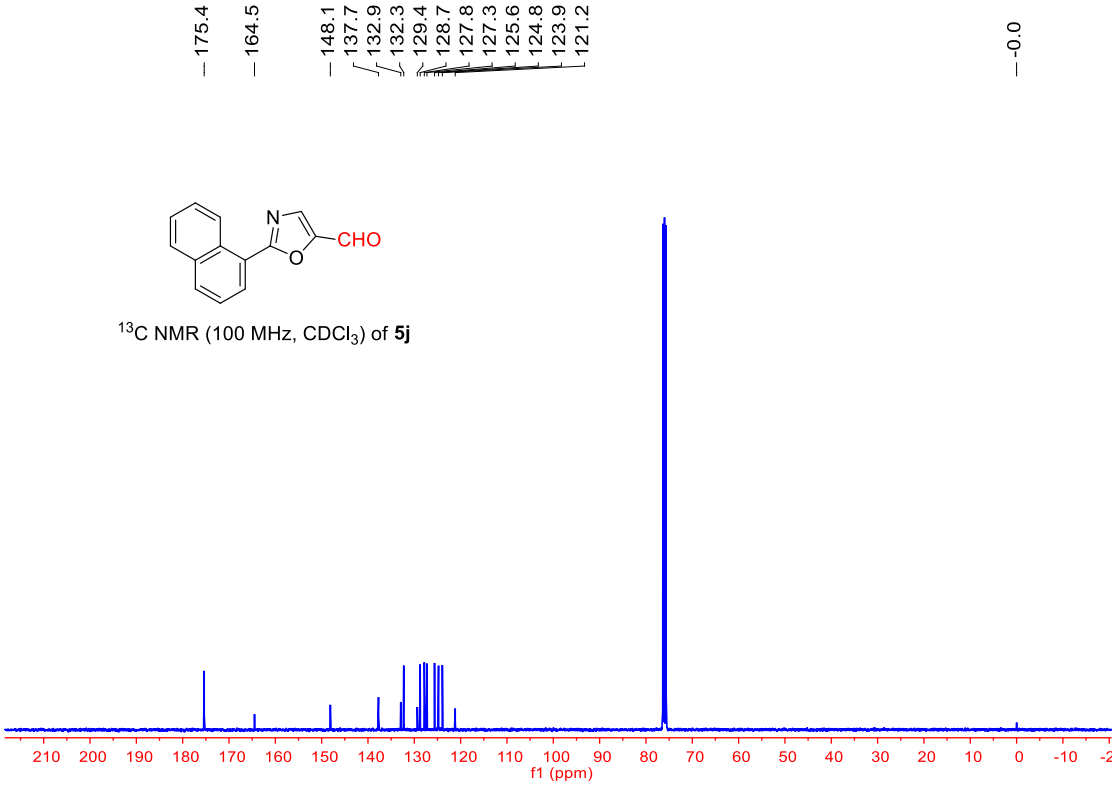
^{1}H NMR (400 MHz, $CDCl_3$) of **5i**



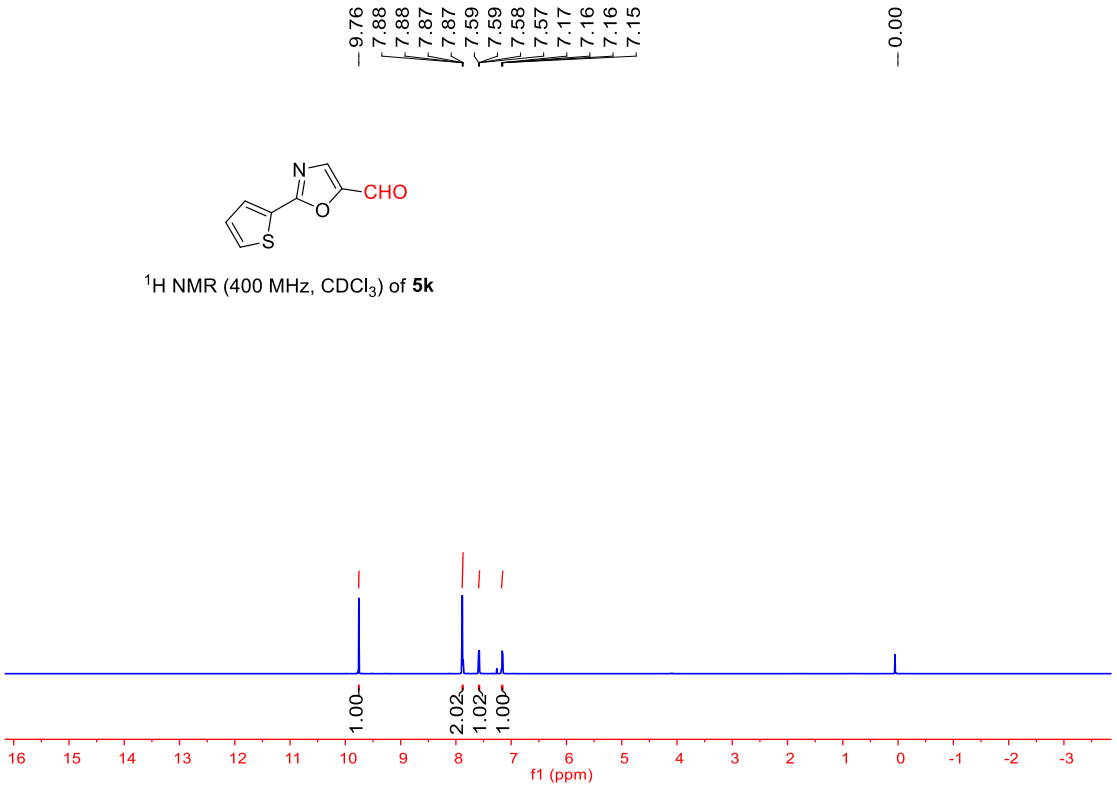
^{13}C NMR (100 MHz, $CDCl_3$) of **5i**



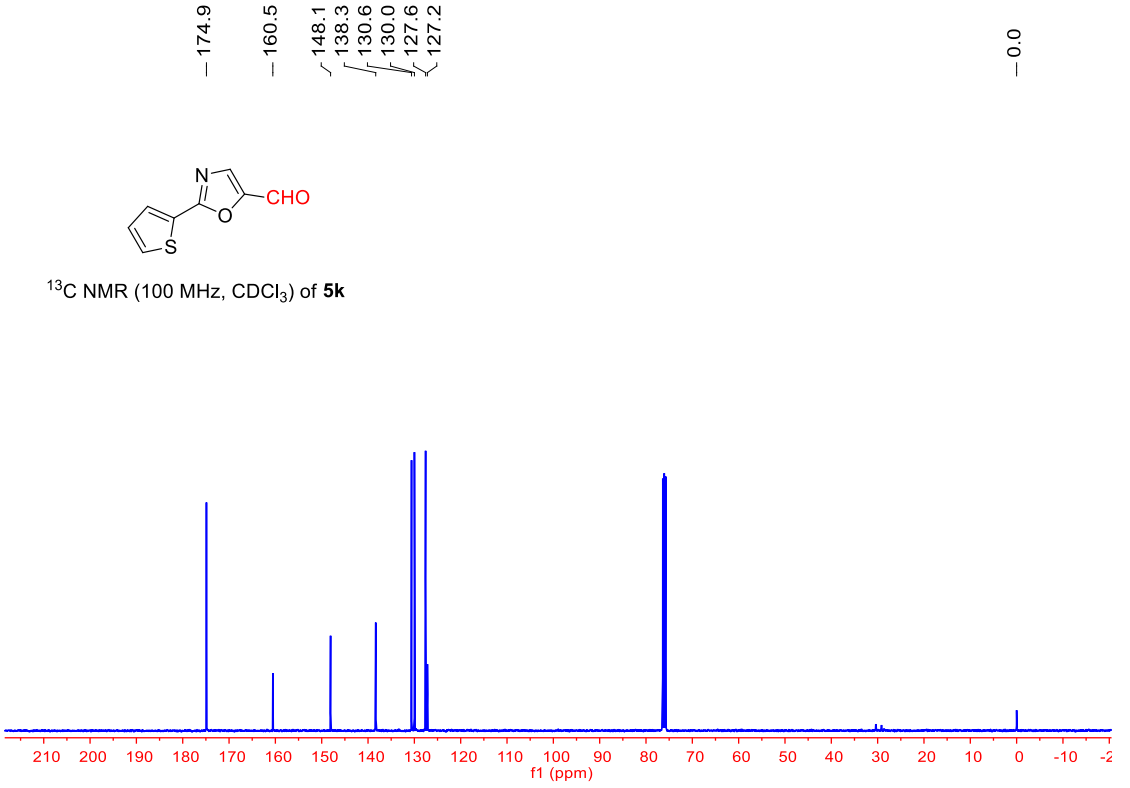
^{1}H NMR (400 MHz, $CDCl_3$) of **5j**



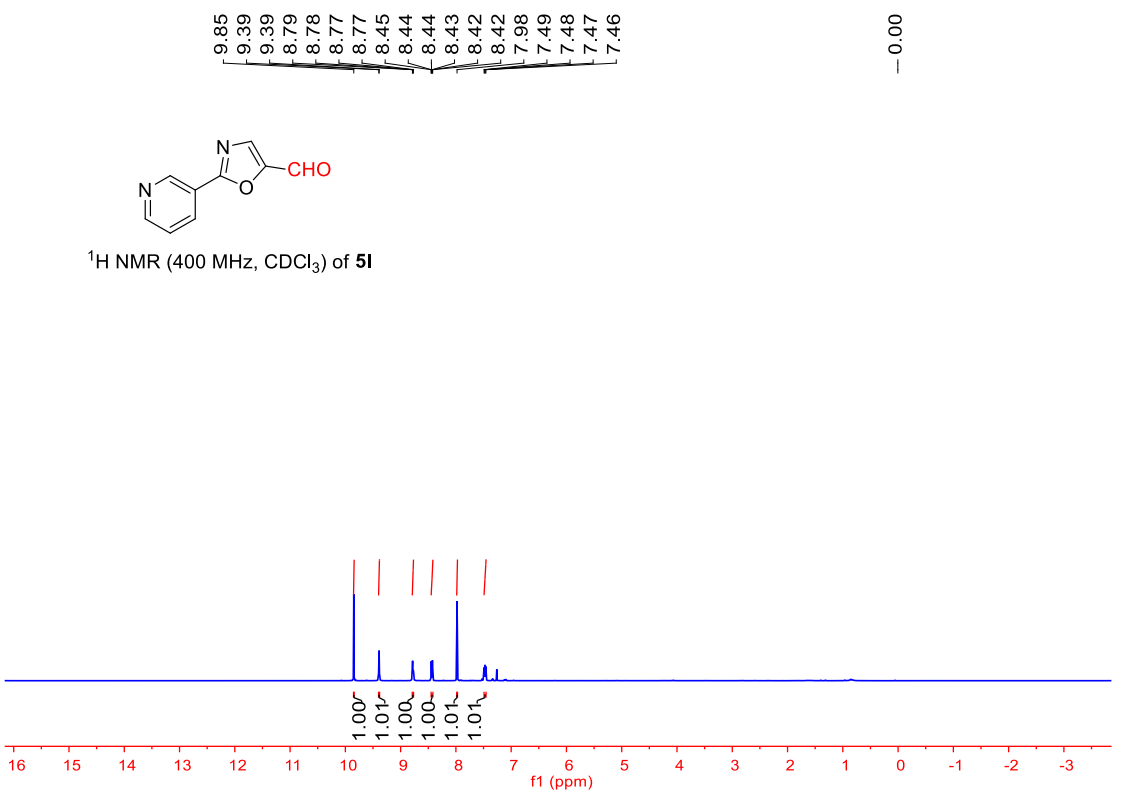
^{13}C NMR (100 MHz, $CDCl_3$) of **5j**



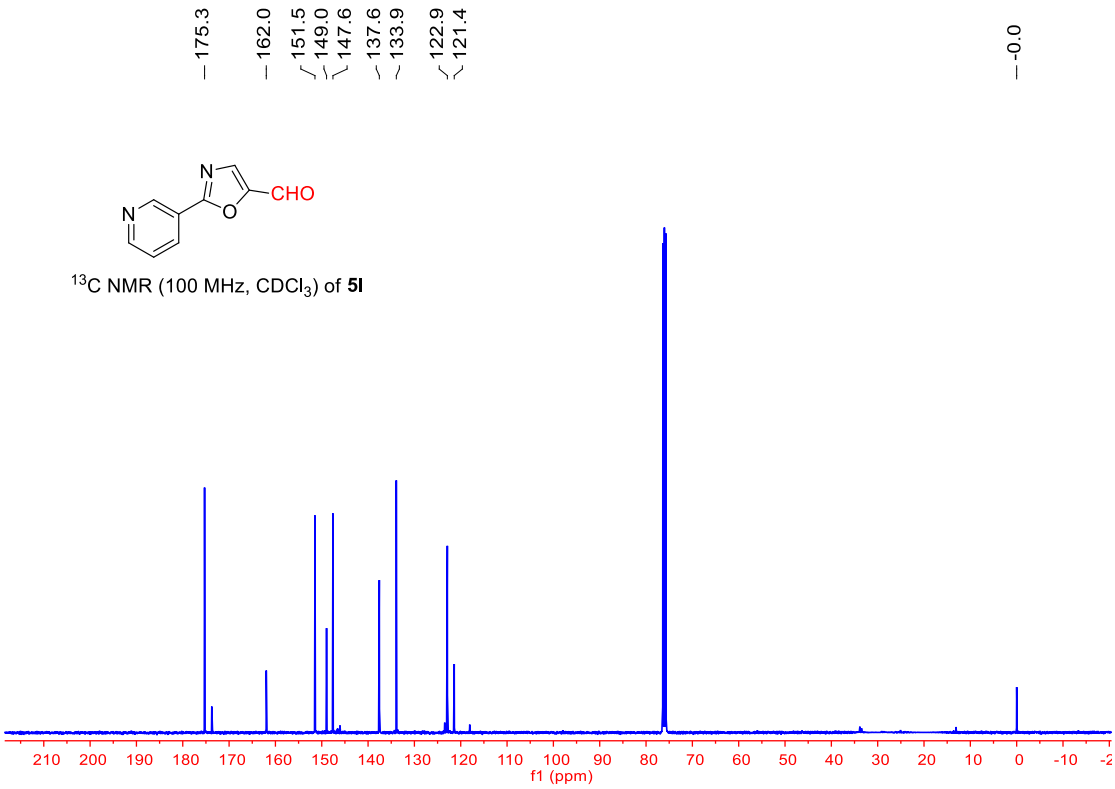
^{1}H NMR (400 MHz, $CDCl_3$) of **5k**



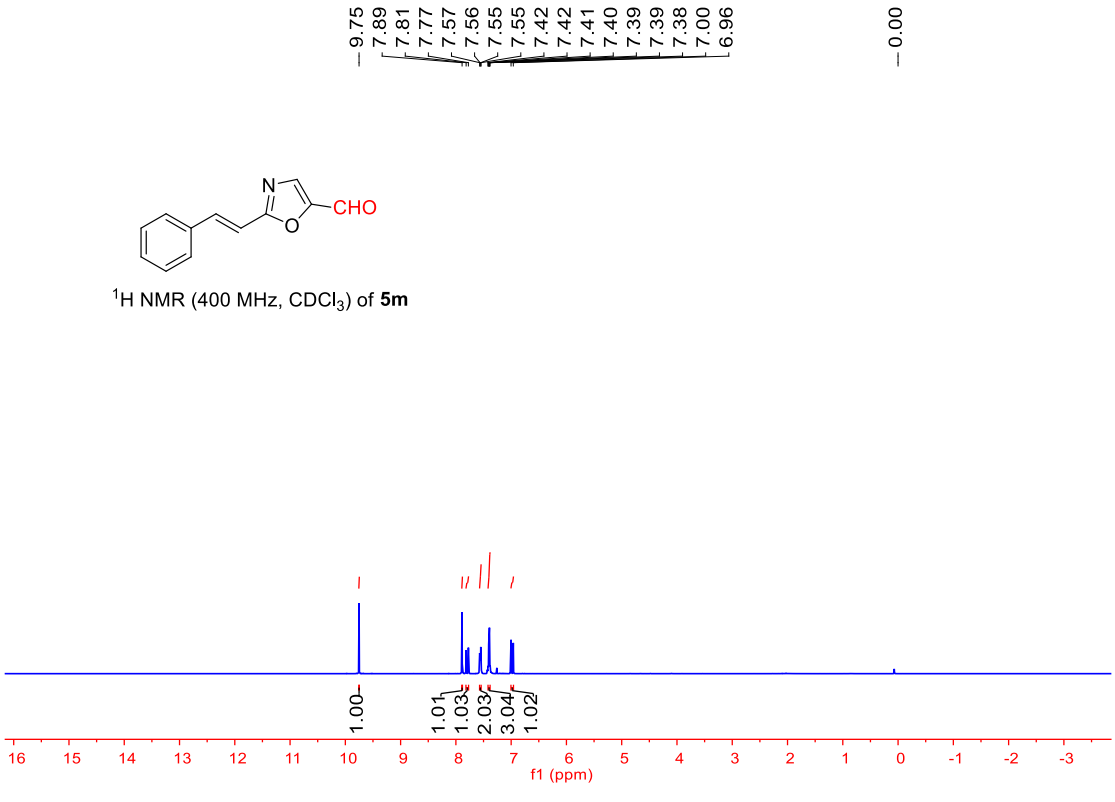
^{13}C NMR (100 MHz, $CDCl_3$) of **5k**



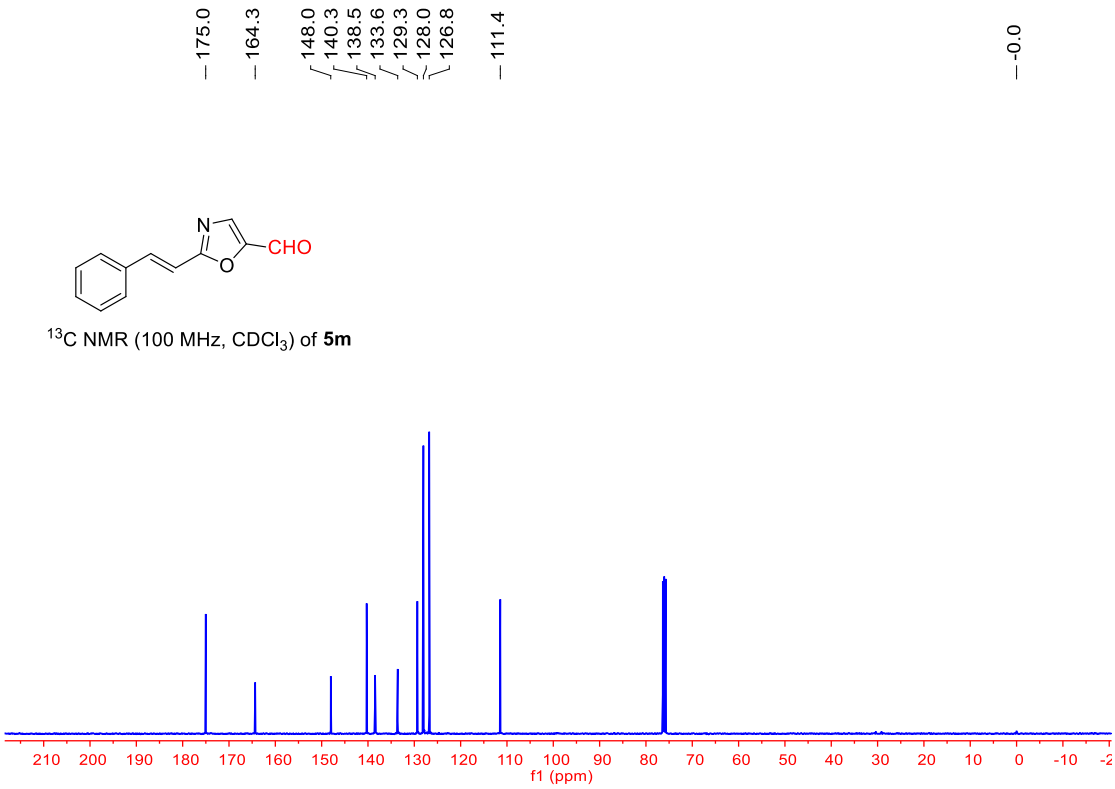
^{1}H NMR (400 MHz, $CDCl_3$) of **5l**



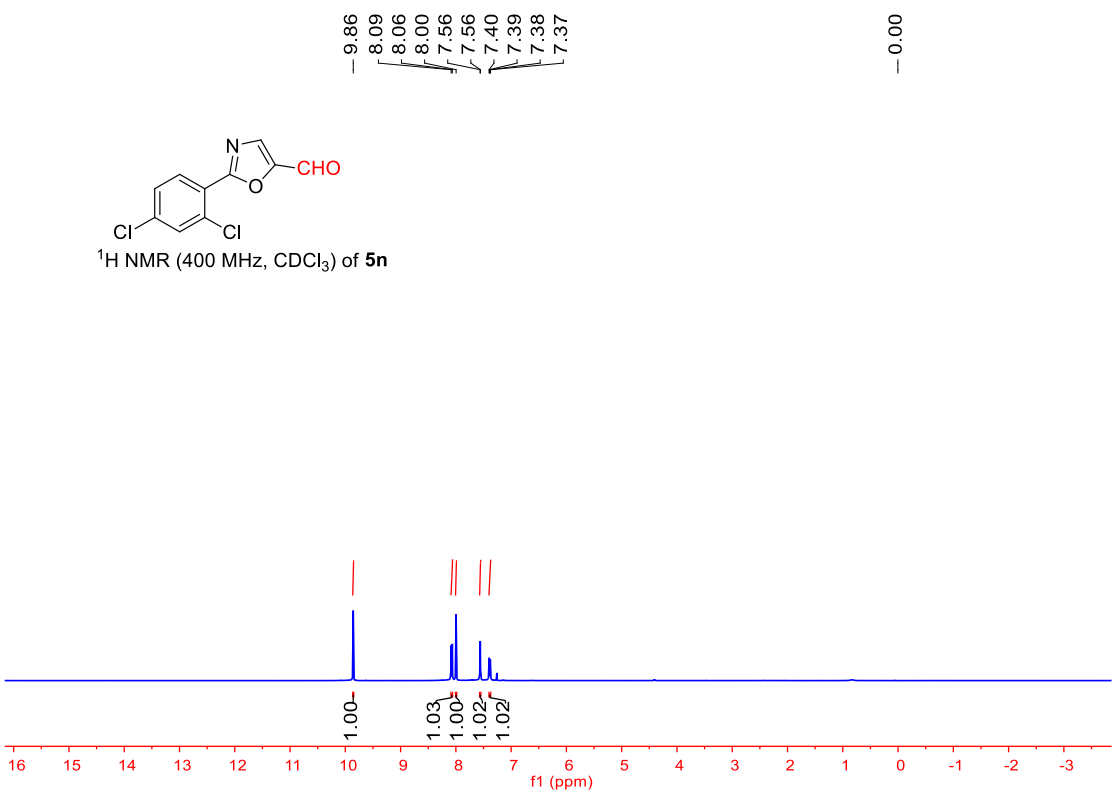
^{13}C NMR (100 MHz, $CDCl_3$) of **5l**



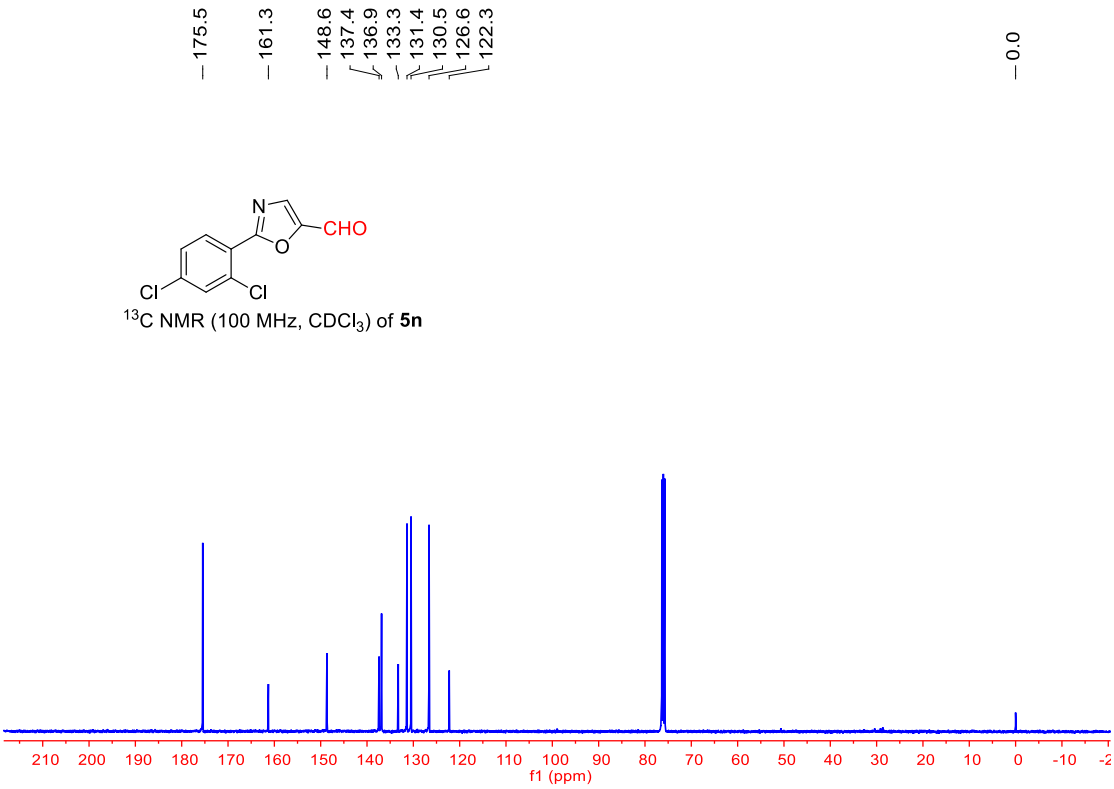
^{1}H NMR (400 MHz, $CDCl_3$) of **5m**



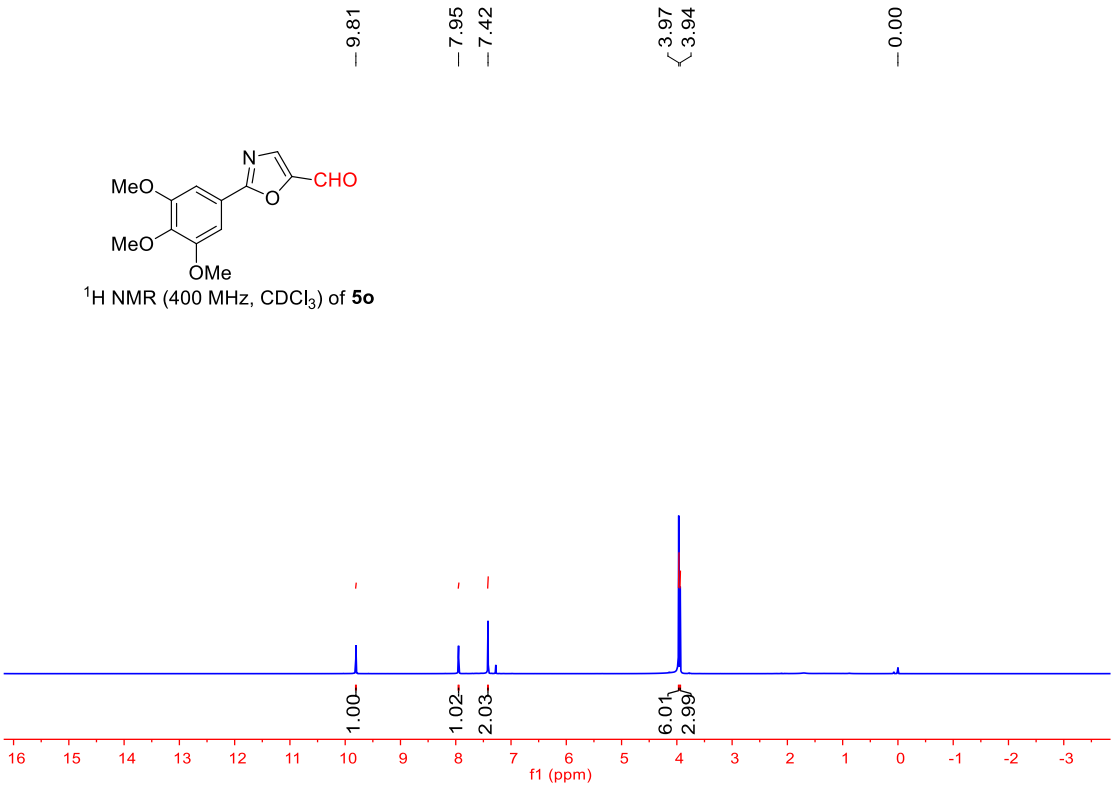
^{13}C NMR (100 MHz, $CDCl_3$) of **5m**



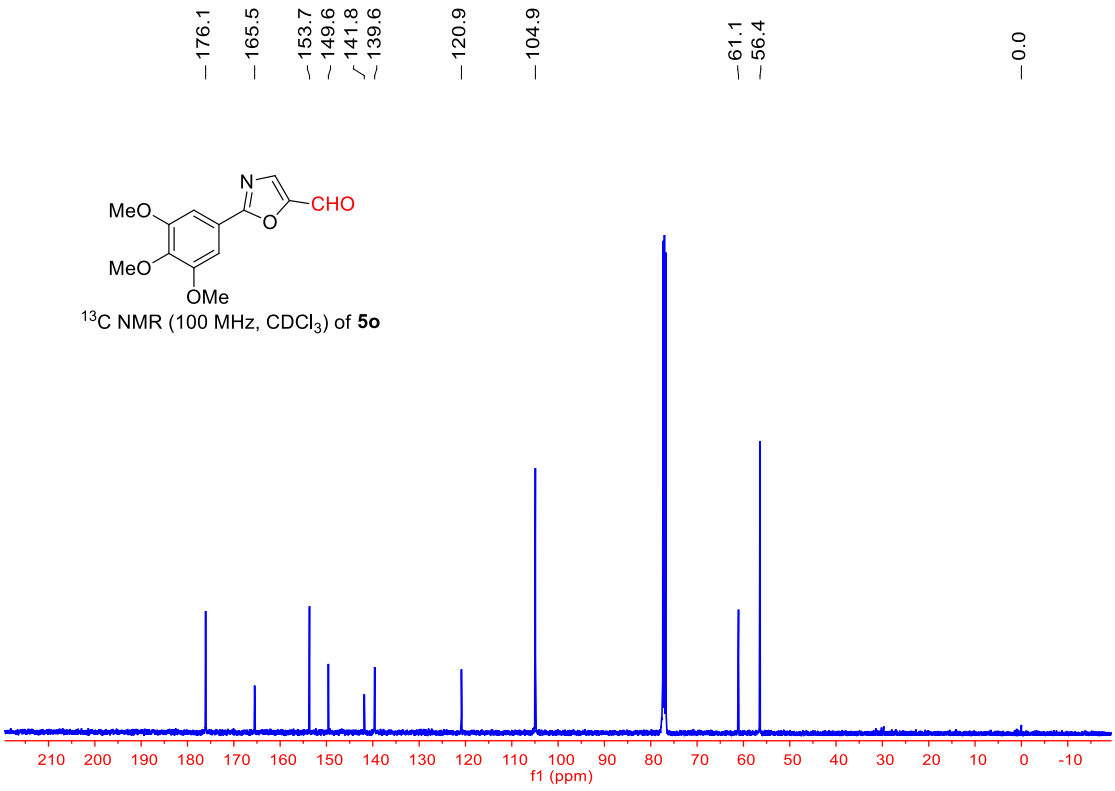
^{1}H NMR (400 MHz, $CDCl_3$) of **5n**



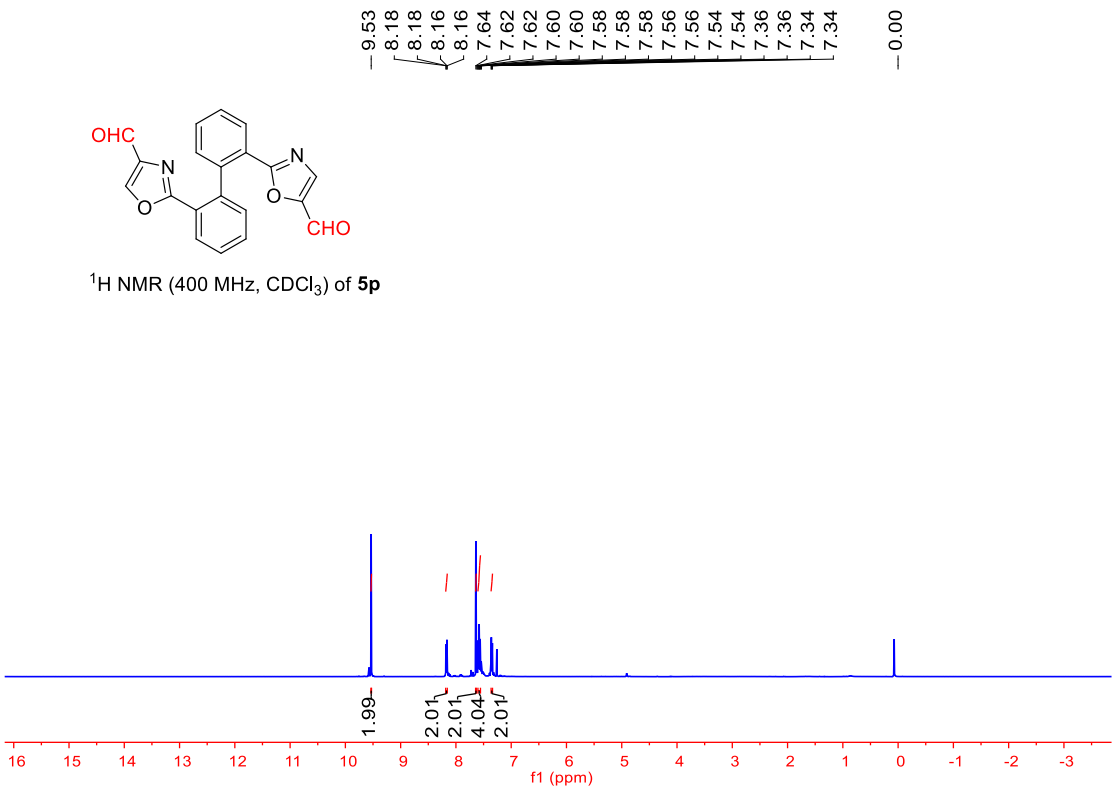
^{13}C NMR (100 MHz, $CDCl_3$) of **5n**



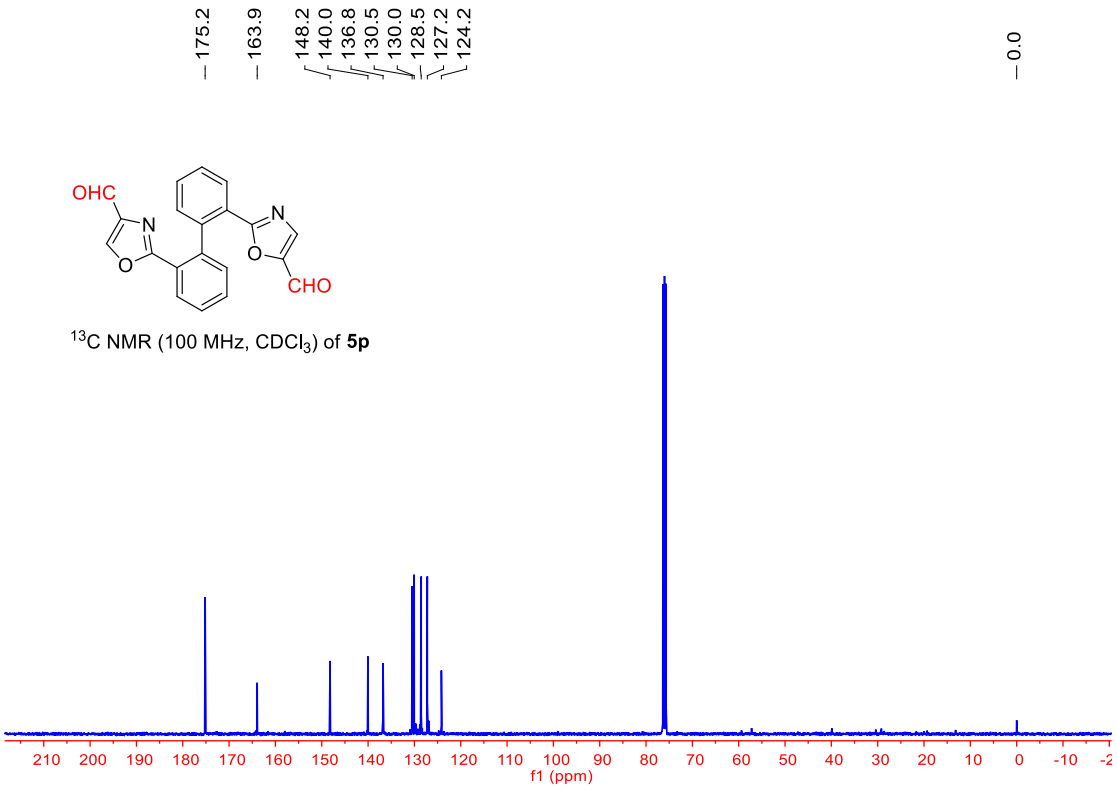
^{1}H NMR (400 MHz, $CDCl_3$) of **5o**



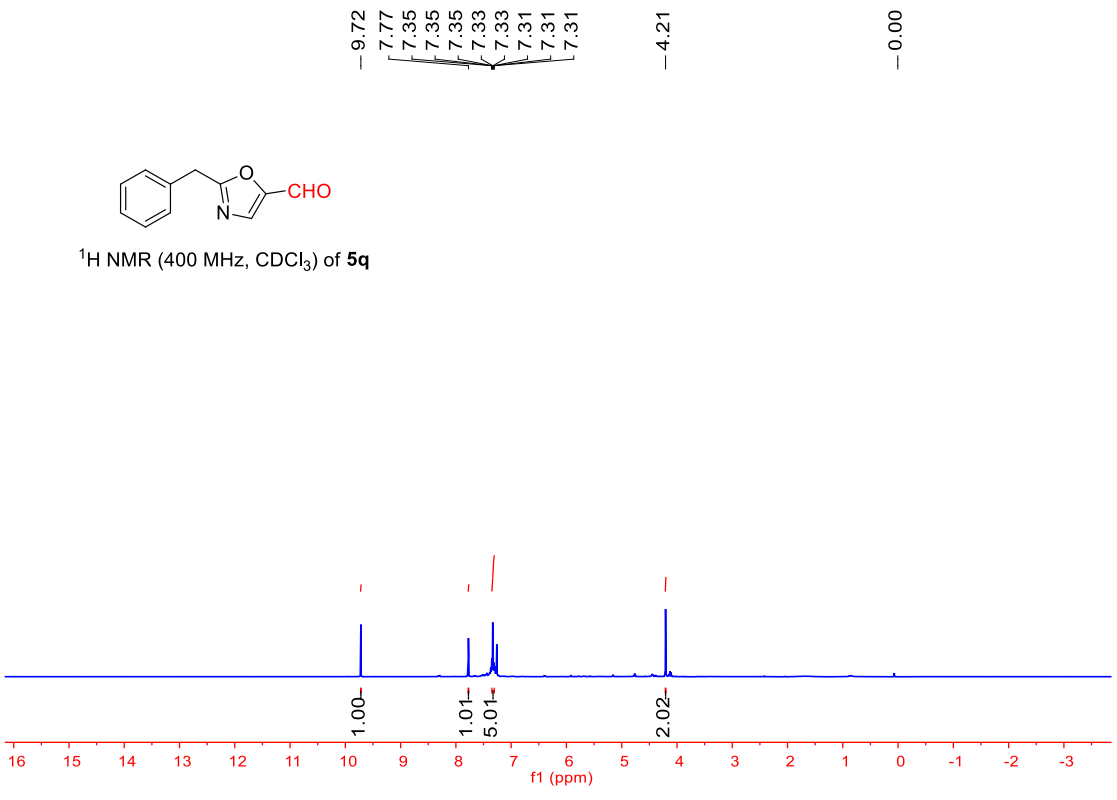
^{13}C NMR (100 MHz, $CDCl_3$) of **5o**



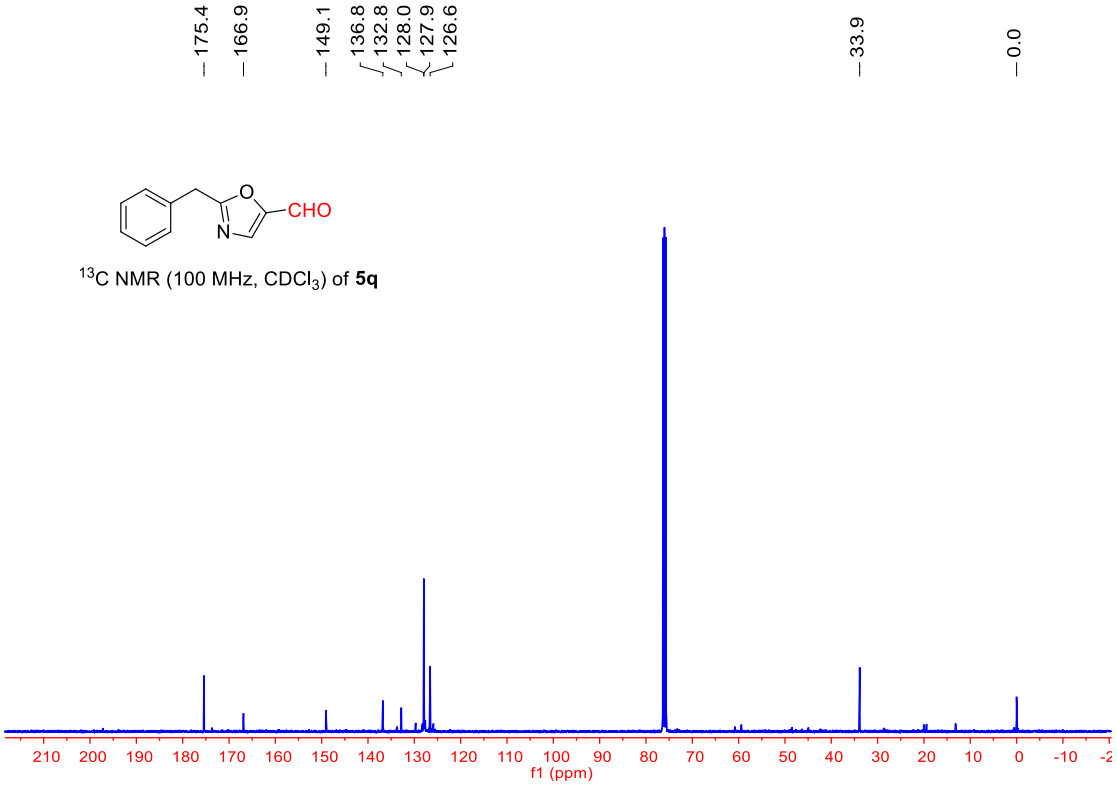
^{1}H NMR (400 MHz, $CDCl_3$) of **5p**



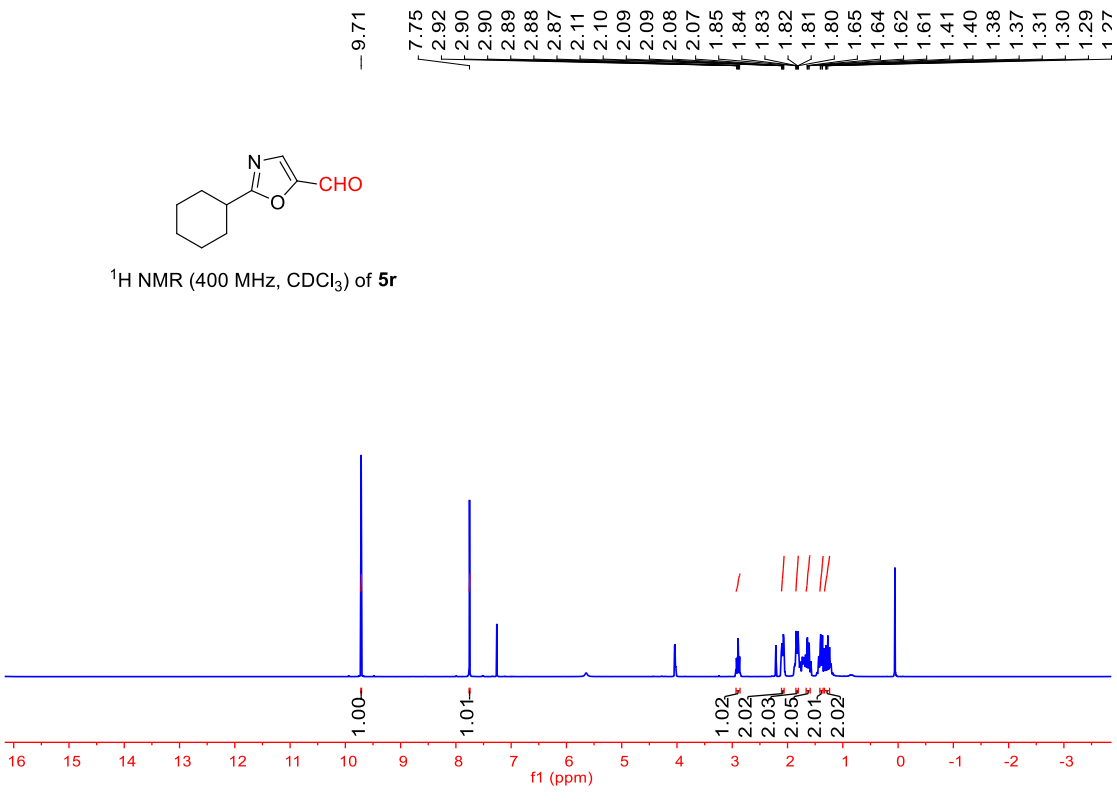
^{13}C NMR (100 MHz, $CDCl_3$) of **5p**



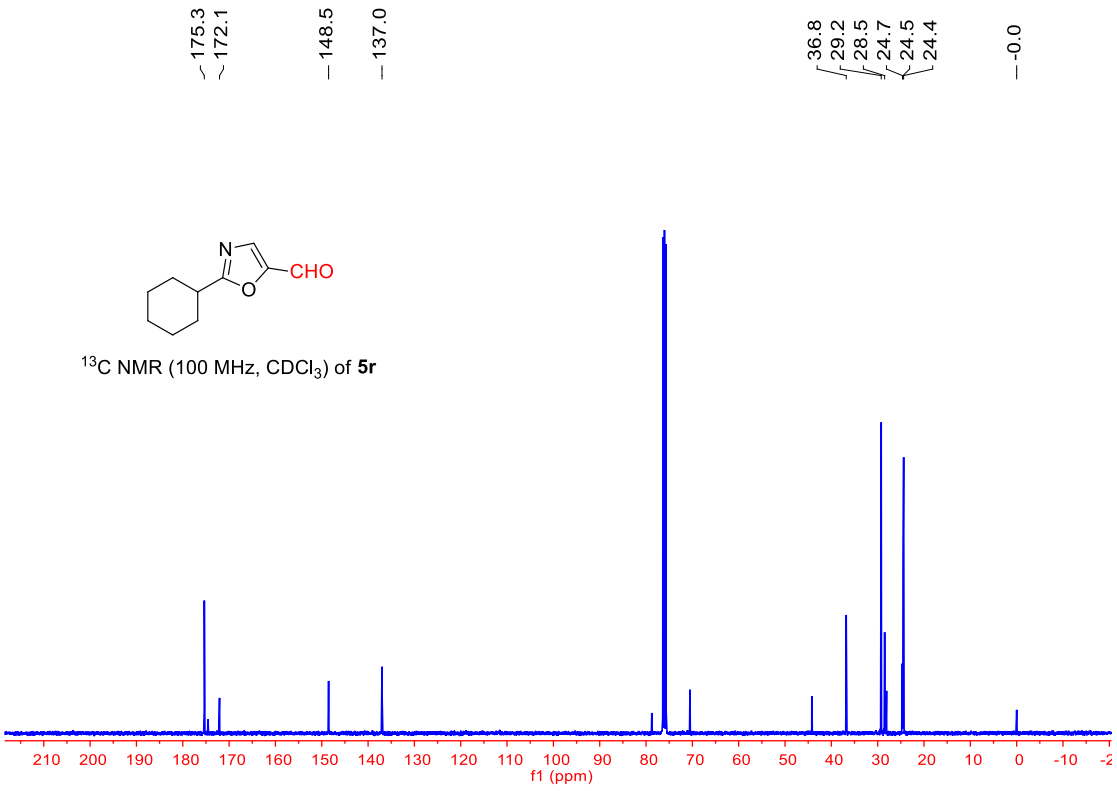
^{1}H NMR (400 MHz, $CDCl_3$) of **5q**



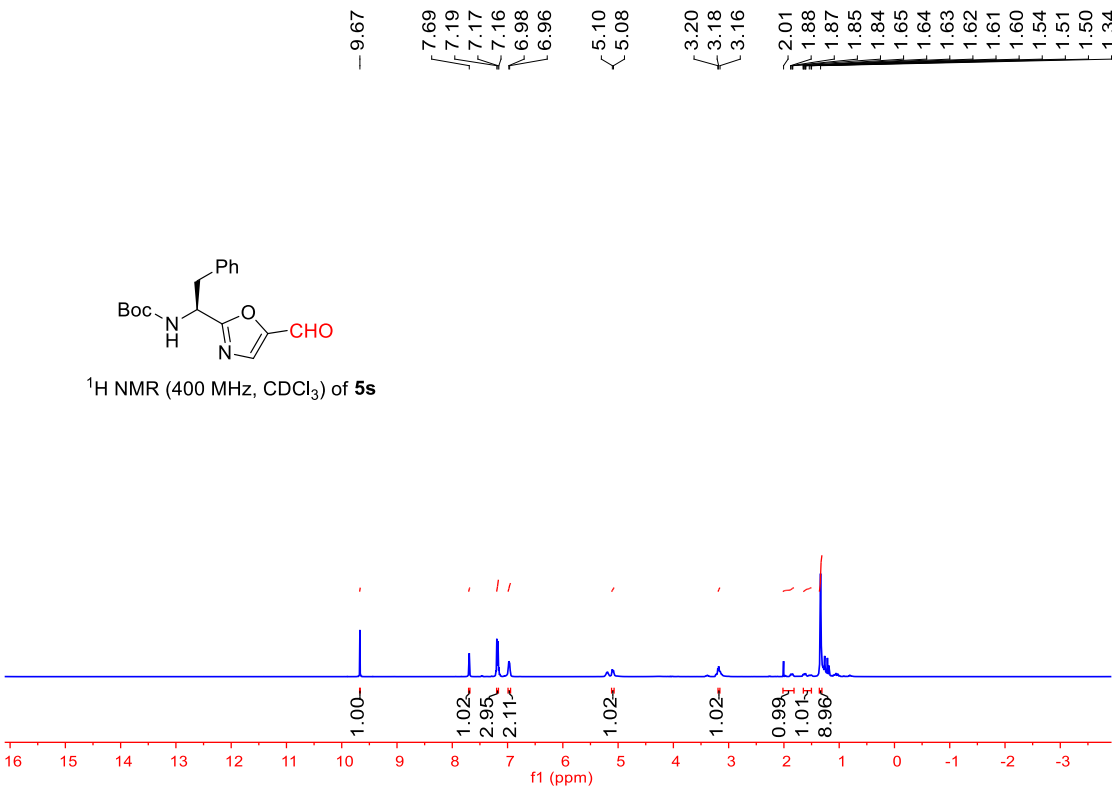
^{13}C NMR (100 MHz, $CDCl_3$) of **5q**



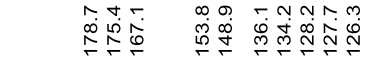
^{1}H NMR (400 MHz, $CDCl_3$) of **5r**

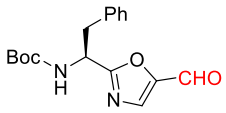


^{13}C NMR (100 MHz, $CDCl_3$) of **5r**

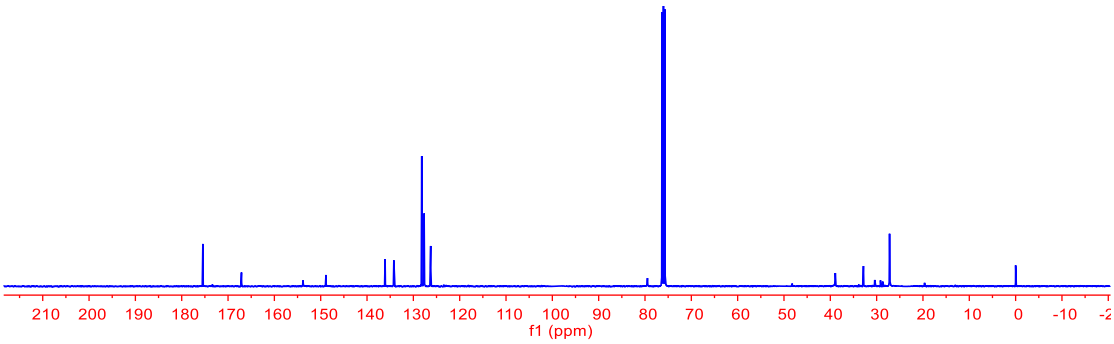


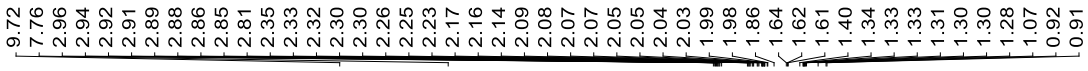
^{1}H NMR (400 MHz, $CDCl_3$) of **5s**

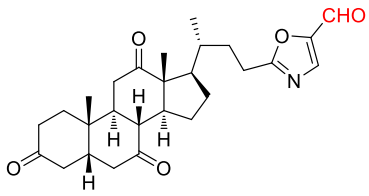




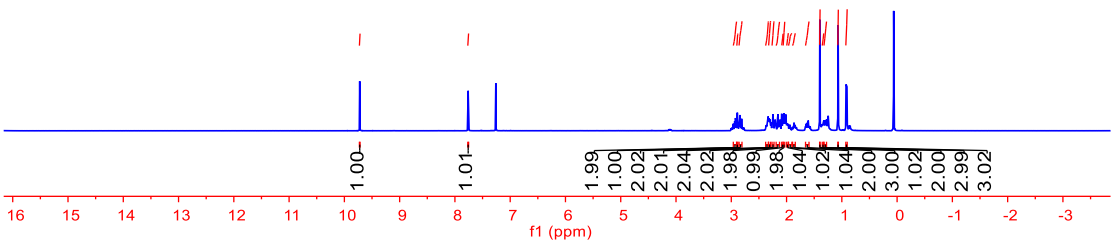
^{13}C NMR (100 MHz, $CDCl_3$) of **5s**

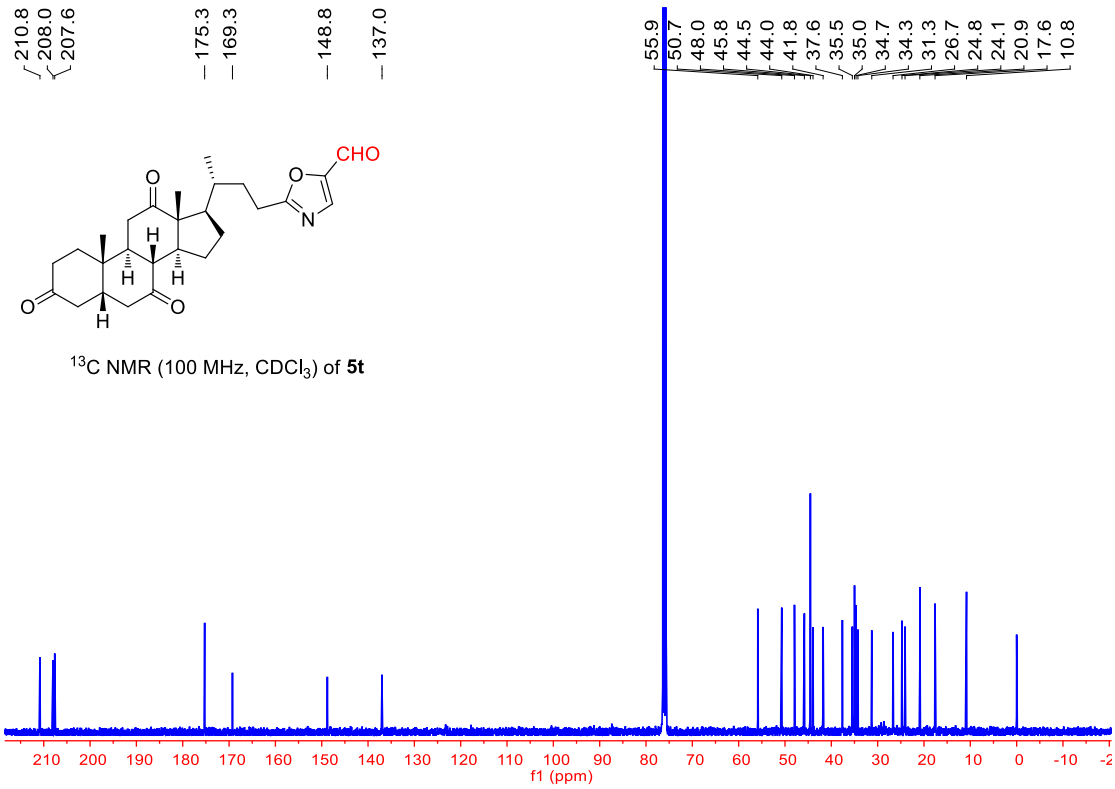




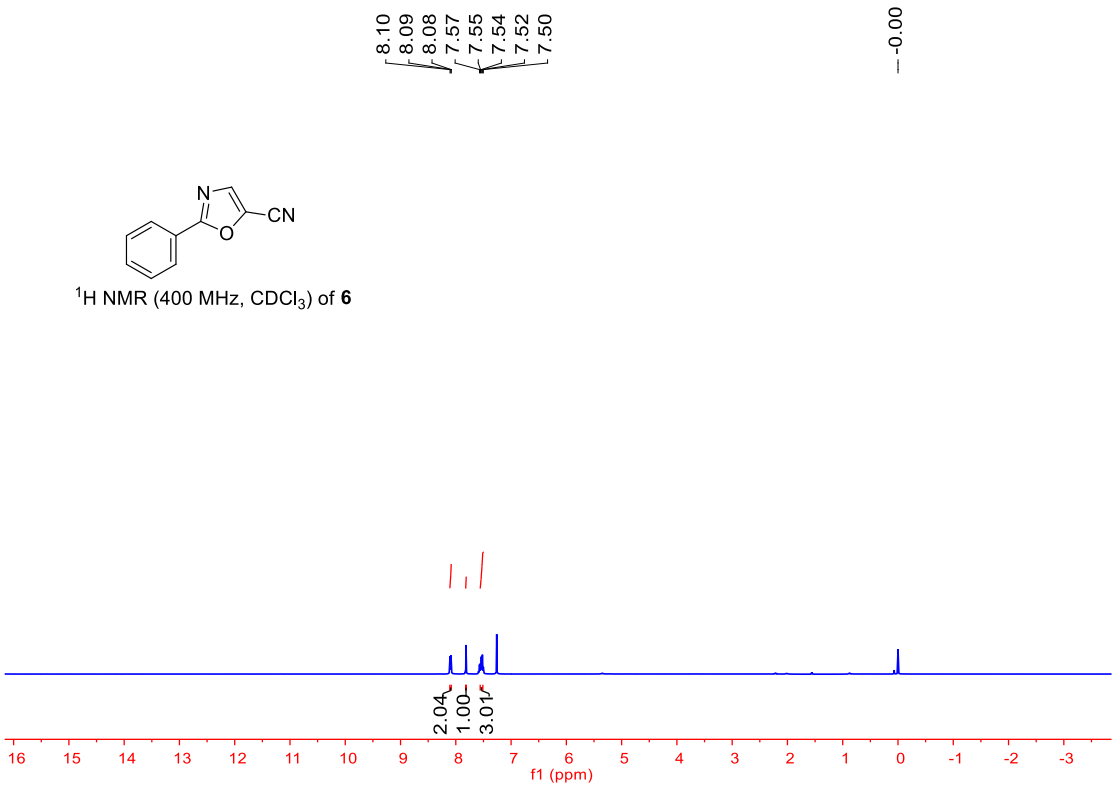


^{1}H NMR (400 MHz, $CDCl_3$) of **5t**

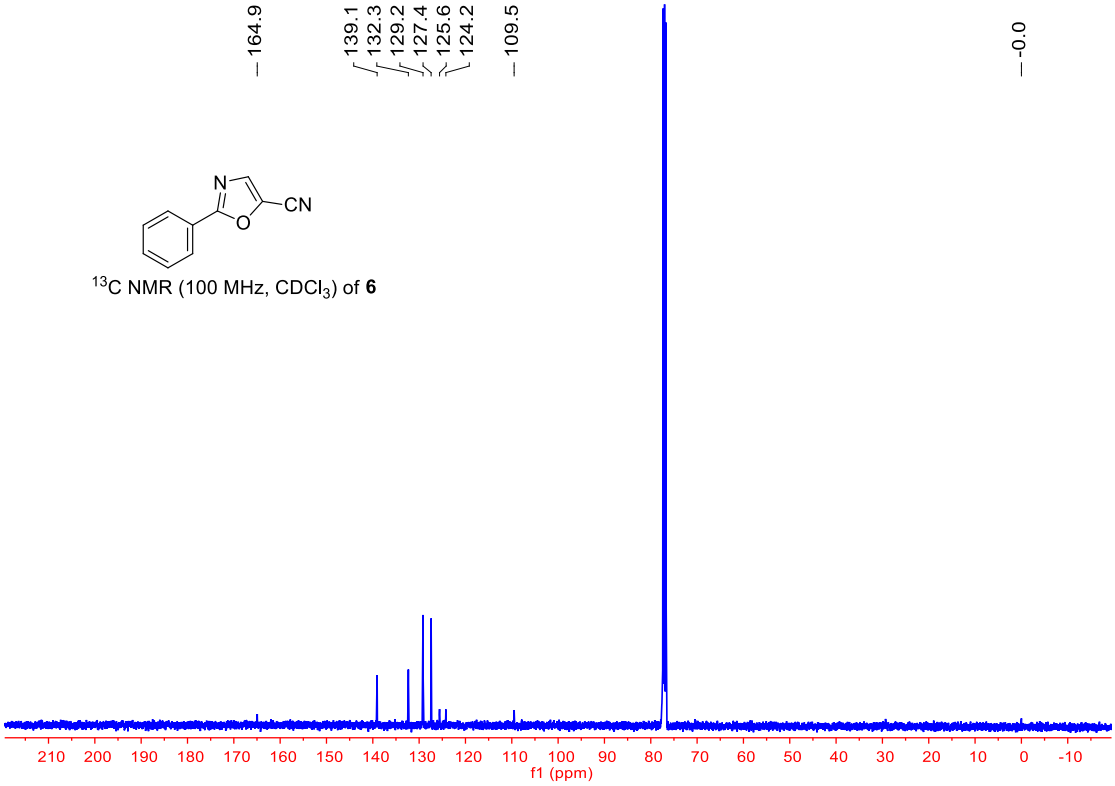




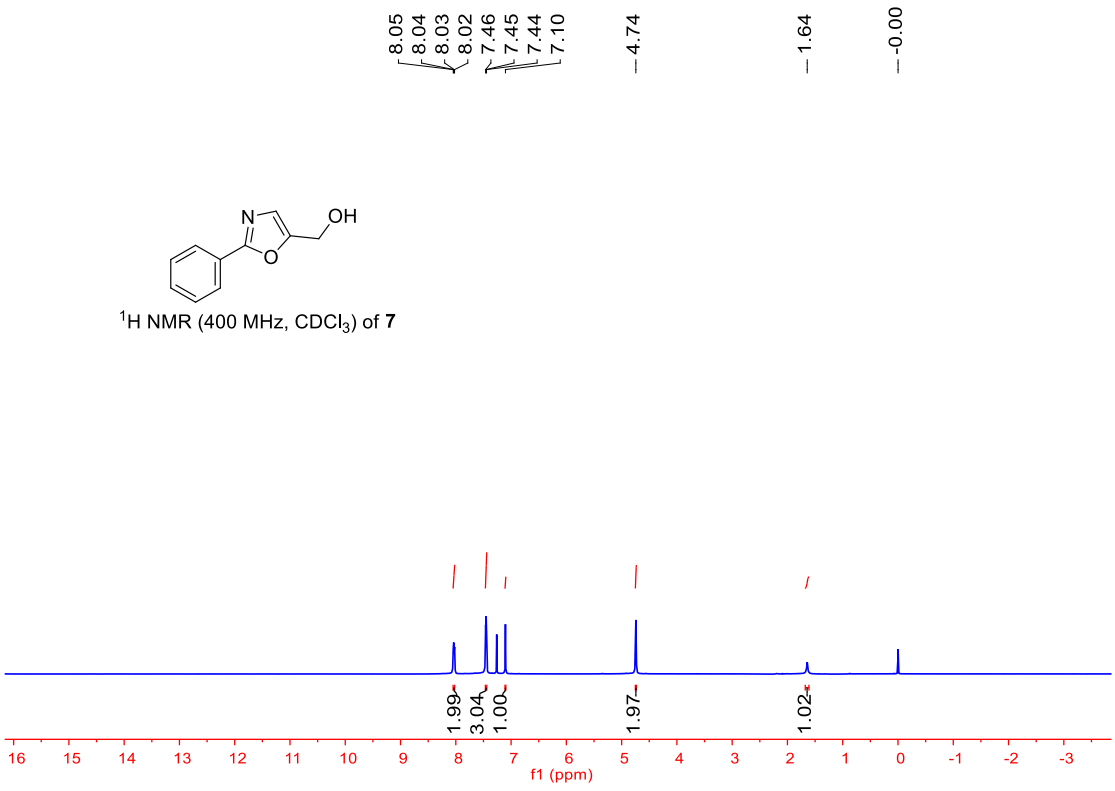
^{13}C NMR (100 MHz, $CDCl_3$) of **5t**



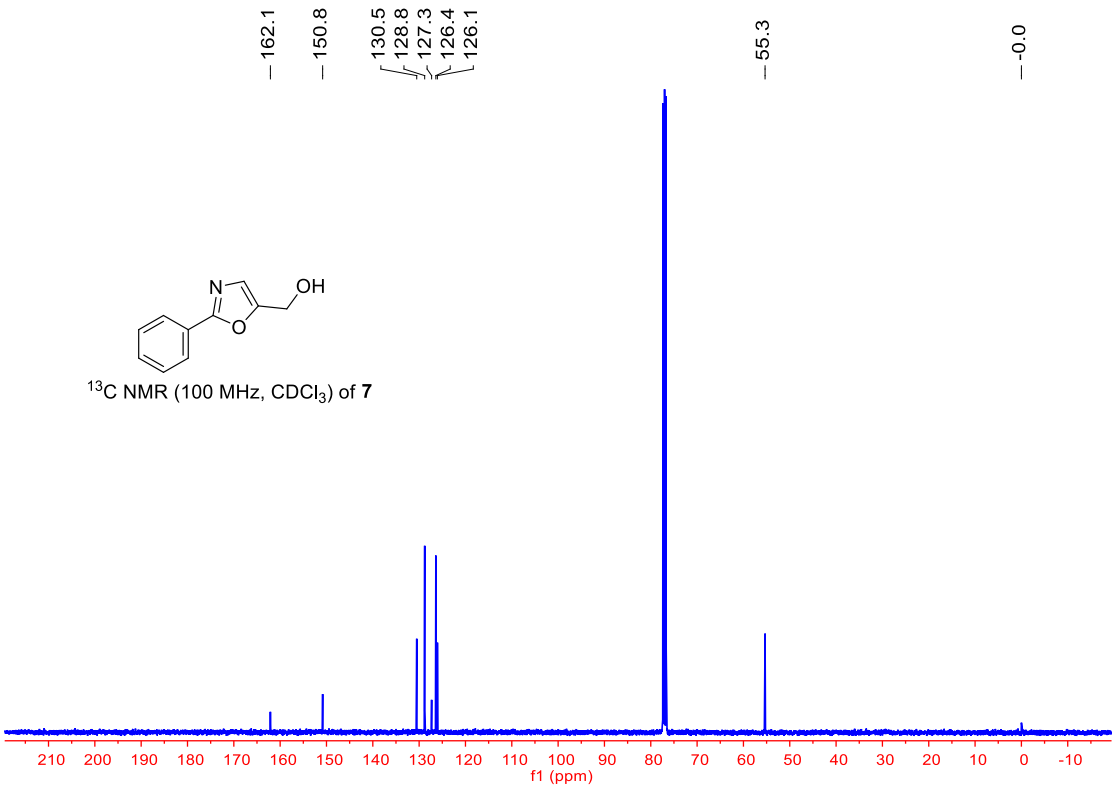
^{1}H NMR (400 MHz, $CDCl_3$) of **6**



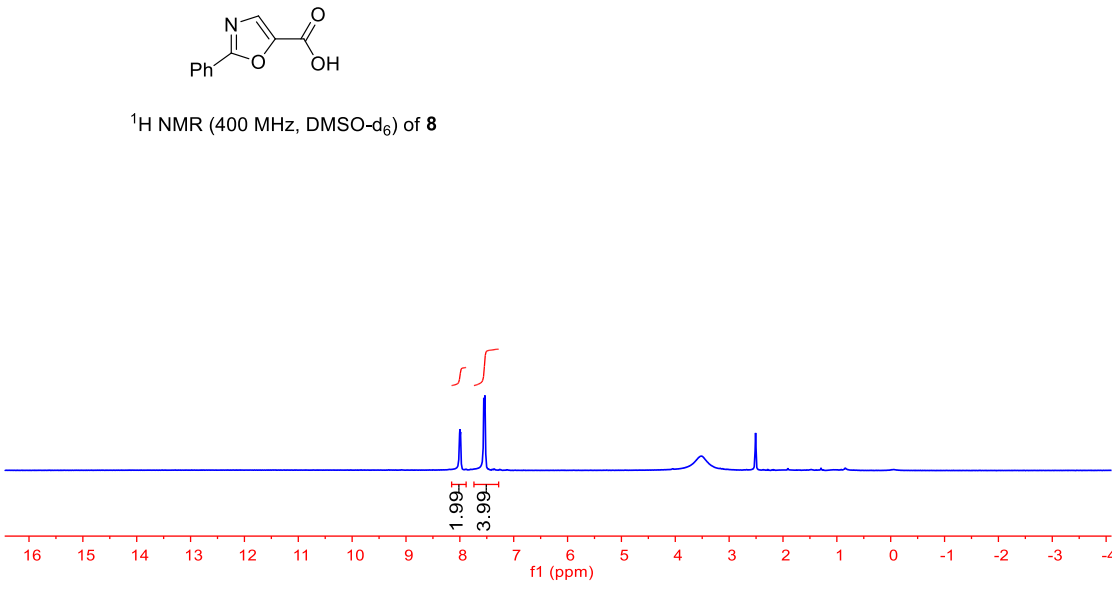
^{13}C NMR (100 MHz, $CDCl_3$) of **6**



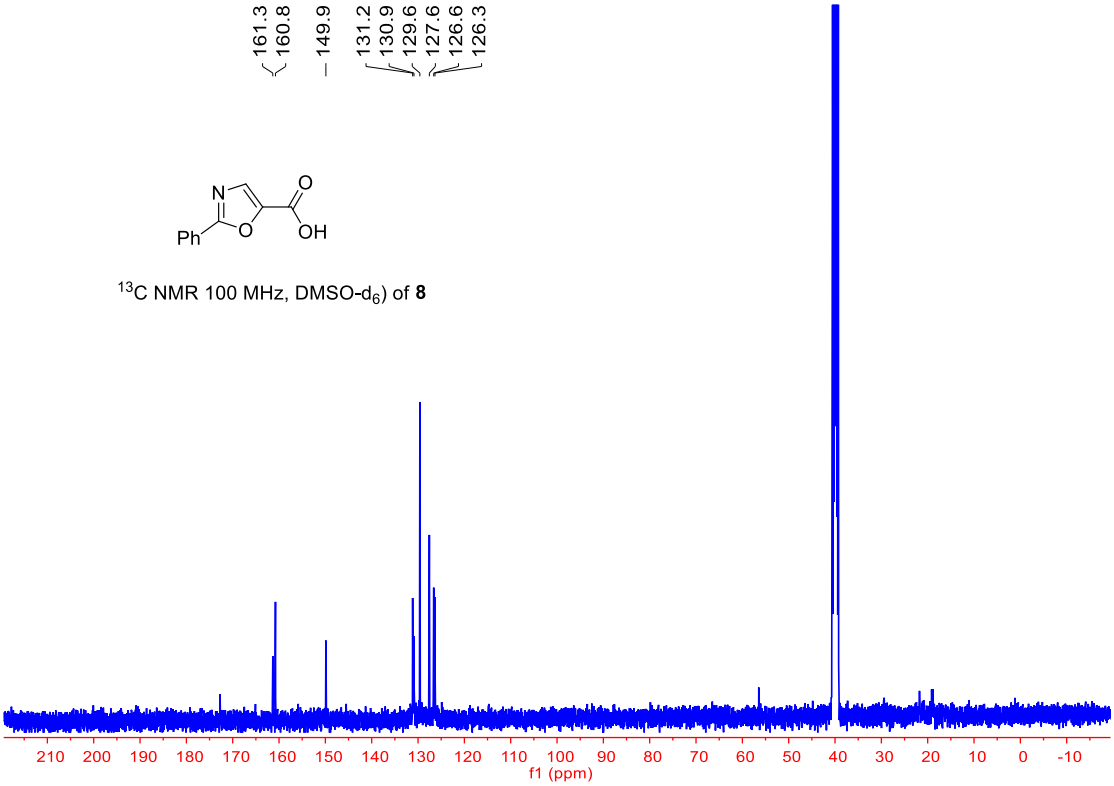
^{1}H NMR (400 MHz, $CDCl_3$) of **7**



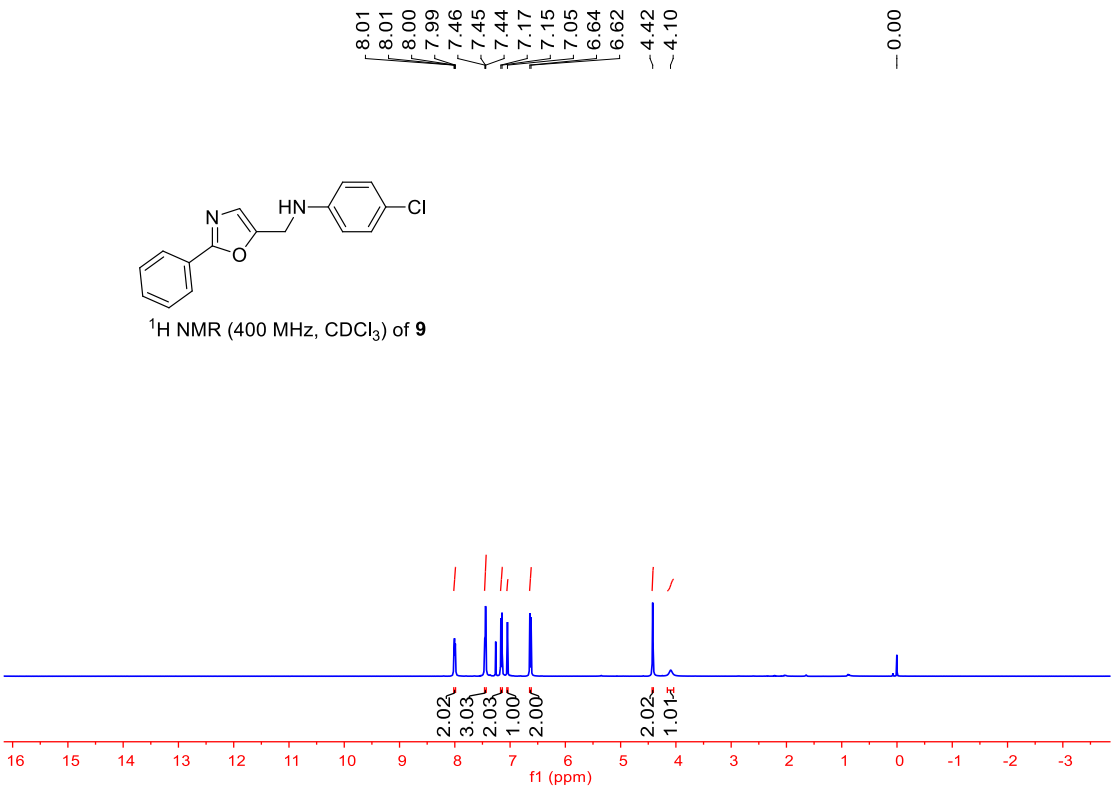
^{13}C NMR (100 MHz, $CDCl_3$) of **7**



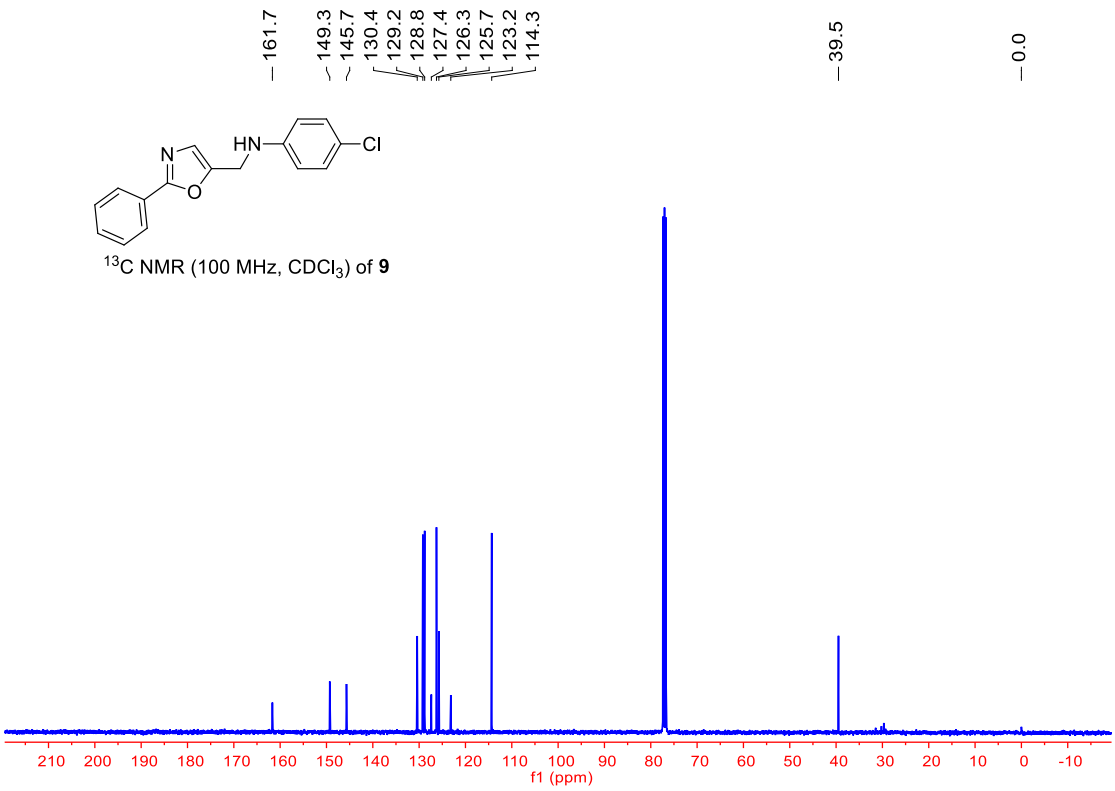
^{1}H NMR (400 MHz, DMSO-d_6) of **8**



^{13}C NMR 100 MHz, DMSO-d_6) of **8**



^{1}H NMR (400 MHz, $CDCl_3$) of **9**



^{13}C NMR (100 MHz, $CDCl_3$) of **9**