Supporting Information

BF_3-Et_2O Promoted Unconventional Reactions of 2-Oxoaldehyde: Access to 4-Amidooxazoles and *β*-Keto Amides/sulphonamides

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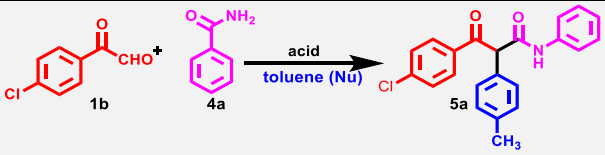
General Information. All chemicals were obtained from Sigma-Aldrich, Tokyo Chemical Industry and S. D. Fine, the progress of the reactions was monitored by thin-layer chromatography (TLC) on pre-coated silica-gel plates using Merck Silica Gel 60 F_{254}, Cat. No. 1.05554.0007 and visualized by short-wave ultraviolet light. Column chromatography was performed by hand using silica-gel (100–200 mesh, Silicycle).^{1}H, ^{13}C, NMR spectra were recorded on Bruker-Advance DPX FT-NMR 400 MHz instruments. Chemical data for protons are reported in parts per million (ppm) downfield from tetramethylsilane and are referenced to the residual proton in the NMR solvent (DMSO-d_6: 2.5 ppm and 3.4 ppm. Carbon nuclear magnetic resonance spectra ^{13}C NMR solvent DMSO-d_6: 39.90-40 ppm) were recorded at 125 MHz or 100 MHz: chemical data for carbons are reported in parts per million (ppm, δ scale) down field from tetramethylsilane and are referenced to the carbon resonance of the solvent. ESI-MS and HRMS spectra were recorded on Agilent 1100 LC-Q-TOF and HRMS-6540-UHD machines respectively.

General procedure for synthesis of Compounds (3a-3x): To a 30 ml glass vial were added 2-oxoaldehydes **1** (0.59 mmol, 1equiv.) and nitrile **2** (1.19 mmol, 2 equiv.) in a toluene (10 ml). The boron trifluoride diethyl etherate (0.89 mmol, 1.5 equiv.) was added dropwise to the

reaction mixture. The reaction mixture was heated to 90 ^{0}C in an oil bath for 4 hours. After the completion of the reaction as monitored by TLC, the reaction mixture was cooled down to room temperature, extracted with ethyl acetate (25 ml× 2) and washed with H_2O (50 ml × 2). The organic layer was dried over anhydrous Na_2SO_4, concentrated via rotary evaporation, and purified by column chromatography on silica gel (petroleum ether: ethyl acetate) as a puffy solid.

General procedure for synthesis of Compounds (5a-5p): To a 30 ml glass vial were added 2-oxoaldehydes **1**(0.59 mmol, 1equiv.) and an amide (0.71 mmol, 1.2 equiv.) in toluene (10 ml). The boron trifluoride diethyl etherate (0.89 mmol, 1.5 equiv.) was added dropwise to the reaction mixture. The reaction mixture was heated to 90 ^{0}C in an oil bath for one hour. After the completion of the reaction as monitored by TLC, the reaction mixture was cooled down to room temperature, extracted with ethyl acetate (25 ml× 2) and washed with H_2O (50 ml × 2). The organic layer was dried over anhydrous Na_2SO_4, concentrated via rotary evaporation, and purified by column chromatography on silica gel (petroleum ether: ethyl acetate) as a viscous liquid.

Table 2. Optimization of reaction conditions



entry	4a	acid	acid	temp (°C)	solvent	[b]yield %
1	1	BF_3–OEt_2	1.0	90	toluene	59
2	1.2	BF_3–OEt_2	1.0	90	toluene	67
3	1.5	BF_3–OEt_2	1.0	90	toluene	66
4	1.2	BF_3–OEt_2	1.2	90	toluene	77
5	**1.2**	**BF_3–OEt_2**	**1.5**	**90**	**toluene**	**81**
6	1.2	BF_3–OEt_2	2.0	90	toluene	79
7	1.2	$AlCl_3$	1.5	90	toluene	-
8	1.2	$FeCl_3$	1.0	90	toluene	-
9	1.2	$Zn(OTf)_2$	1.0	90	toluene	-
10	1.2	$Cu(OTf)_2$	1.0	90	toluene	-
11	1.2	$Sc(OTf)_3$	1.0	90	toluene	-
12	1.2	CH_3COOH	1.0	90	toluene	-
13	1.2	CF_3SO_3H	1.0	90	toluene	-
14	1.2	BF_3–OEt_2	1.5	100	toluene	74
15	1.2	BF_3–OEt_2	1.5	80	toluene	61
16	1.2	BF_3–OEt_2	1.5	60	toluene	54
17	1.2	BF_3–OEt_2	1.5	90	DCE	-
18	1.2	BF_3–OEt_2	1.5	90	DCM	-
19	1.2	BF_3–OEt_2	1.5	90	MeOH	-

[a]Conditions: Addition of 2-Oxoaldehyde **1a** (0.59 mmol, 100 mg), Amide **4a** (0.71 mmol, 86 mg), and $BF_3.OEt_2$ (0.89 mmol, 125 µL) at 90 °C in an oil bath for 1h in10 mL of toluene. [b]Isolated yields.

Hammet Analysis

S No.	Subst.	σ	% yield
1	H	0.00	81
2	*p*-OCH_3	-0.268	87
3	*m*-CH_3	-0.069	83
4	Br	+0.232	75
5	F	+0.062	78

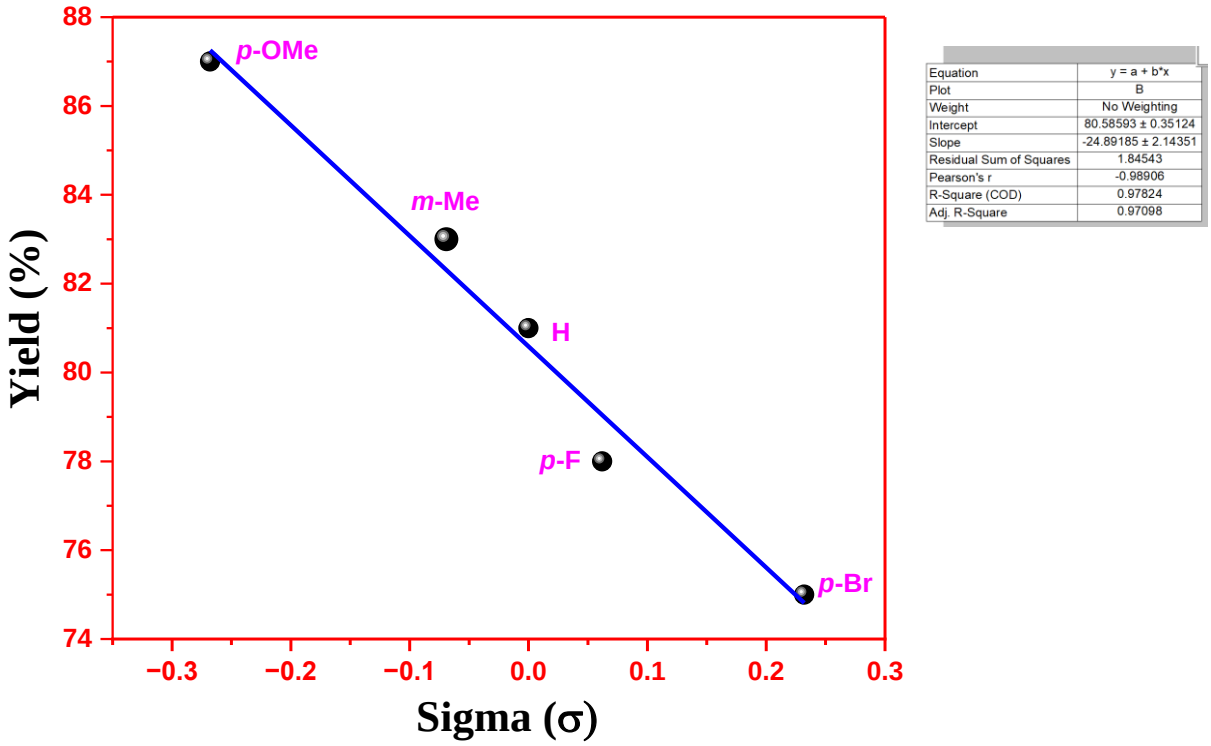


Figure 2: Hammett plot for the reaction of 2-oxoaldehydes with different substituted nitriles.

NMR Characterization data:

N-(2-phenyl-5-(m-tolyl)oxazol-4-yl)benzamide (3a)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (193 mg, 81%) as off white fuffy solid. M.p.: 117-119 °C. IR (neat) ν_{max} 3428, 1646, 1467, 1281,1026, 998, 828, 683 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.62 (s, 1H), 8.16 – 8.10 (m, 2H), 8.06 (d, *J* = 7.1 Hz, 2H), 7.61 (dt, *J* = 20.0, 10.0 Hz, 8H), 7.36 (t, *J* = 7.7 Hz, 1H), 7.20 (d, *J* = 7.5 Hz, 1H), 2.34 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.72 (s), 157.88 (s), 143.18 (s), 138.58 (s), 133.92 (s), 132.61 (s), 132.25 (s), 131.38 (s), 129.67 (s), 129.65 (s), 129.21 (s), 129.20 (s) 128.22 (s), 127.72 (s), 126.97 (s), 126.38 (s), 125.62 (s), 122.37 (s), 21.57 (s). **HRMS** (ESI): m/z calcd. For C_{23} H_{19} N_2 O_2 [M+H] + 355.1447: found: 355.1455.

N-(2, 5-di-m-tolyloxazol-4-yl)-3-methylbenzamide (3b)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (214mg, 83%) as off white fuffy solid. M.p.: 124–126 °C. IR (neat) ν_{max} 3411, 1648, 1620, 1472, 1277, 1091, 709, 690 cm^{-1}; **^{1}H NMR** NMR (400 MHz, DMSO) δ 10.55 (s, 1H), 7.96 – 7.84 (m, 4H), 7.63 (s, 1H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.50 – 7.44 (m, 3H), 7.41 – 7.33 (m, 2H), 7.19 (d, *J* = 7.6 Hz, 1H), 2.42 (s, 3H), 2.41 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 166.85 (s), 157.98 (s), 143.06 (s), 139.13 (s), 138.51 (s), 138.50 (s), 133.93 (s), 133.18 (s), 132.26 (s), 132.05 (s), 129.58 (s), 129.57 (s), 129.27 (s), 129.00 (s), 128.79 (s), 127.76 (s), 126.83 (s), 126.82 (s), 125.58 (s), 125.31 (s), 123.59 (s), 122.35 (s), 21.57(s), 21.43(s), 21.38 (s). **HRMS** (ESI): m/z calcd. For $C_{25}H_{23}N_2O_2$ [M+H] + 383.1760: found: 383.1769.

4-(*tert*-butyl)-*N*-(2-(4-(*tert*-butyl)phenyl)-5-(m-tolyl)oxazol-4-yl)benzamide(3c)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (265 mg, 85%) as off white fuffy solid. M.p.: 126–129 °C. IR (neat) ν_{max} 3467, 1648, 1473, 1278,1092, 709, 690 cm^{-1};**^{1}H NMR** (400 MHz, DMSO) δ 10.54 (s, 1H), 8.04 (dd, *J* = 8.1, 2.8 Hz, 4H), 7.59 (dd, *J* = 14.5, 7.3 Hz, 6H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 7.2 Hz, 1H), 2.32 (s, 3H), 1.33 (s, 18H). ^{13}C NMR (101 MHz, DMSO) δ 166.46 (s), 157.99 (s), 155.41 (s), 154.14 (s), 142.85 (s), 138.44 (s), 132.30 (s), 131.24 (s), 129.29 (s),129.28(s) 128.15 (s), 127.92 (s), 126.48 (s), 126.25 (s), 125.85 (s), 125.57 (s), 124.41 (s), 122.33 (s), 35.16 (s), 31.36 (s), 31.35 (s), 21.60 (s). **HRMS** (ESI): m/z calcd. For C_{31} H_{35} N_2 O_2 [M+H] + 467.2699: found: 467.2691.

4-methoxy-*N*-(2-(4-methoxyphenyl)-5-(m-tolyl)oxazol-4-yl)benzamide (3ca)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (185 mg, 87%) as off white fuffy solid. M.p.: 141–143 °C. IR (neat) ν_{max} 3461, 1647, 1473, 1251,1092, 711, 697 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.40 (s, 1H), 8.05 (d, *J* = 8.2 Hz, 4H), 7.59 (s, 1H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.33 (t, *J* = 7.7 Hz, 1H), 7.19 – 7.05 (m, 5H), 3.85 (d, *J* = 2.5 Hz, 6H), 2.32 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.12 (s), 162.75 (s), 161.80 (s), 158.00 (s), 142.46 (s), 138.48 (s), 132.30 (s), 130.20 (s), 129.24 (s), 129.21 (s) 128.16 (s), 127.94 (s), 126.08 (s), 125.40 (s), 122.15 (s), 119.64 (s), 115.15 (s), 114.32 (s), 55.89 (s), 55.87 (s), 21.57 (s). **HRMS** (ESI): m/z calcd. For C_{25} H_{23} N_2 O_4 [M+H] + 415.1658: found: 415.1659.

3-(methylthio)-*N*-(2-(3-(methylthio)phenyl)-5-(m-tolyl)oxazol-4-yl)benzamide (3d)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane 20:80); (236 mg, 79%) as off white fuffy solid. M.p.: 124-126 °C. IR (neat) ν_{max} 3414, 1637, 1461, 1271, 1080, 709, 693 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.52 (s, 1H), 8.02 (d, *J* = 8.6 Hz, 4H), 7.60 (s, 1H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.43 (t, *J* = 8.0 Hz, 4H), 7.35 (t, *J* = 7.7 Hz, 1H), 7.19 (d, *J* = 7.6 Hz, 1H), 2.56 (s, 6H), 2.33 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.13 (s), 157.75 (s), 144.38 (s), 142.78 (s), 138.55 (s), 132.26 (s), 129.75 (s), 129.49 (s), 129.28 (s), 128.71 (s), 127.75 (s), 126.75 (s), 126.26 (s), 125.49 (s), 123.14 (s), 122.27 (s), 21.58 (s), 14.54 (s), 14.53 (s). **HRMS** (ESI): m/z calcd. For C_{25} H_{23} N_2 O_2 S_2 [M+H] + 447.1201: found: 447.1196.

3-methoxy-*N*-(2-(3-methoxyphenyl)-5-(m-tolyl)oxazol-4-yl)benzamide (3e)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (246 mg, 86%) as off white fuffy solid. M.p.: 135-137 °C. IR (neat) ν_{max} 3427, 1645, 1610, 1460, 1271, 1071, 695 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.49 (s, 1H), 7.90 (d, *J* =

22.7 Hz, 4H), 7.46 (dd, *J* = 6.3, 2.9 Hz, 3H), 7.33 (dd, *J* = 10.7, 2.1 Hz, 3H), 7.08 (d, *J* = 8.4 Hz, 1H), 3.79 (s, 3H), 3.72 (s, 3H), 2.43 (s, 3H), 2.41 (s, 3H). **^{3}C NMR** (101 MHz, DMSO) δ 166.92 (s), 157.41 (s), 149.58 (s), 149.25 (s), 143.33 (s), 139.10 (s), 138.45 (s), 133.89 (s), 133.18 (s), 131.89 (s), 130.93 (s), 129.61 (s), 128.99 (s), 128.73 (s), 127.02 (s), 126.64 (s), 125.26 (s), 123.50 (s), 120.42 (s), 118.30 (s), 112.55 (s), 108.77 (s), 56.03 (s), 55.83 (s), 21.52(s), 21.31 (s). **HRMS** (ESI): m/z calcd. For $C_{26}H_{25}N_2O_4$ [M+H] + 429.1814: found: 429.1819.

***N*-(2-(thiophen-2-yl)-5-(m-tolyl)oxazol-4-yl)thiophene-2-carboxamide (3f)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (179 mg, 73%) as off white fuffy solid. M.p.: 119–121 °C. IR (neat) ν_{max} 3446, 1641, 1623, 1463, 1179, 1092, 701, 681cm^{-1};**^{1}H NMR** (400 MHz, DMSO) δ 10.66 (s, 1H), 8.10 (d, *J* = 3.4 Hz, 1H), 7.91 (d, *J* = 5.0 Hz, 1H), 7.89 – 7.86 (m, 1H), 7.83 (d, *J* = 5.0 Hz, 1H), 7.57 (s, 1H), 7.52 (d, *J* = 7.8 Hz, 1H), 7.35 (t, *J* = 7.7 Hz, 1H), 7.27 (dd, *J* = 8.7, 4.4 Hz, 2H), 7.18 (d, *J* = 7.6 Hz, 1H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 161.30 (s), 154.46 (s), 142.54 (s), 139.04 (s), 138.58 (s), 132.98 (s), 131.51 (s), 130.65 (s), 130.40 (s), 129.64 (s), 129.07 (s), 129.06 (s), 127.45 (s), 125.56 (s), 122.35 (s), 21.56 (s). **HRMS** (ESI): m/z calcd. For C_{19} H_{15} N2 O_2 S_2 [M+H] + 367.0575: found: 367.0576.

***N*-(2-methyl-5-(m-tolyl)oxazol-4-yl)acetamide (3g)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (100 mg, 65%) as off white fuffy solid. M.p.: 133-135 °C. IR (neat) ν_{max} 3427, 1645, 1460, 1271,1023, 998, 828, 683 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.84 (s, 1H), 7.35 (t, *J* = 10.8 Hz, 3H), 7.15 (d, *J* = 6.5 Hz, 1H), 2.44 (s, 3H), 2.34 (s, 3H), 2.05 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 174.39 (s), 163.37 (s), 146.51 (s), 143.10 (s), 135.28 (s), 133.79 (s), 133.78 (s),132.78 (s), 129.95 (s), 126.77 (s), 28.01 (s), 26.30 (s), 18.95 (s). **HRMS** (ESI): m/z calcd. For $C_{13}H_{15}N_2O_2$ [M+H] + 231.1134: found: 231.1136.

***N*-(2-ethyl-5-(m-tolyl)oxazol-4-yl)propionamide (3h)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (119 mg, 69%) as off white fuffy solid. M.p.: 124-126 °C. IR (neat) ν_{max} 3428, 1637, 1467, 1271,1027, 828, 705 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.14 (s, 1H), 7.55 (s, 1H), 7.51 (d, *J* = 7.8 Hz, 1H), 7.30 (t, *J* = 7.7 Hz, 1H), 7.12 (d, *J* = 7.5 Hz, 1H), 2.76 (q, *J* = 7.6 Hz, 2H), 2.40 (q, *J* = 7.5 Hz, 2H), 2.34 (s, 3H), 1.27 (d, *J* = 4.2 Hz, 3H), 1.13 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 174.39 (s), 162.25 (s), 138.06 (s), 138.0 (s), 131.32 (s), 130.07 (s), 128.89 (s), 128.58 (s), 126.66 (s), 123.21 (s), 28.98 (s), 21.59 (s), 21.58 (s), 11.23 (s), 9.81 (s). **HRMS** (ESI): m/z calcd. For C_{15} H_{19} N_2 O_2 [M+H] + 259.1447: found: 259.1443.

***N*-(5-(4-chlorophenyl)-2-ethyloxazol-4-yl)propionamide (3i)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (122 mg, 66%) as off white fuffy solid. M.p.: 119-121 °C. IR (neat) ν_{max} 3421, 1646, 1465, 1255,1026, 998, 828, 683 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.20 (s, 1H), 7.71 (d, *J* = 8.4 Hz, 2H), 7.48 (d, *J* = 8.3 Hz, 2H), 2.76 (q, *J* = 7.5 Hz, 2H), 2.41 (q, *J* = 7.5 Hz, 2H), 1.27 (t, *J* = 7.6 Hz, 3H), 1.11 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 174.29 (s), 162.45 (s), 138.51 (s), 132.49 (s), 130.28 (s), 129.02 (s), 129.00 (s), 127.74 (s), 28.92 (s), 21.60 (s), 11.16 (s), 9.68 (s). **HRMS** (ESI): m/z calcd. For C_{14} H_{16} N_2 O_2 Cl [M+H] + 279.0900: found: 279.0901.

***N*-(5-(4-ethylphenyl)-2-methyloxazol-4-yl)acetamide (3j)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (110 mg, 69%) as off white fuffy solid. M.p.: 131-134°C. IR (neat) ν_{max} 3426, 1646, 1441, 1287,1026, 991, 828, 705 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.81 (s, 1H), 7.46 (d, *J* = 6.9 Hz, 2H), 7.28 (d, *J* = 7.2 Hz, 2H), 2.62 (d, *J* = 7.1 Hz, 2H), 2.44 (s, 3H), 2.05 (s, 3H), 1.19 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 169.61 (s), 158.36 (s), 144.02 (s), 141.91 (s), 130.00 (s), 128.58 (s), 125.63 (s), 124.86 (s), 28.39 (s), 23.26 (s), 15.85 (s), 14.20 (s). **HRMS** (ESI): m/z calcd. For C_{14} H_{17} N_2 O_2 [M+H] + 244.1212: found: 244.1219.

***N*-(5-(3,4-dimethoxyphenyl)-2-ethyloxazol-4-yl)propionamide (3k)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (106 mg, 73%) as off white fuffy solid. M.p.: 124-126 °C. IR (neat) ν_{max} 3428, 1641, 1462, 1271,1029, 998, 686 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.74 (s, 1H), 7.14 – 7.06 (m, 2H), 7.03 (d, *J* = 8.3 Hz, 1H), 3.78 (s, 3H), 3.77 (s, 3H), 2.78 (q, *J* = 7.5 Hz, 2H), 2.34 (dd, *J* = 15.0, 7.5 Hz, 2H), 1.27 (t, *J* = 7.5 Hz, 3H), 1.09 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 173.40 (s), 162.03 (s), 149.07 (s), 149.06 (s), 141.84 (s), 129.14 (s), 120.91 (s), 117.83 (s), 112.36 (s), 108.59 (s), 55.90 (s), 55.89 (s), 29.06 (s), 21.51 (s), 11.25 (s), 10.07 (s). **HRMS** (ESI): m/z calcd. For $C_{16}H_{20}N_2O_4$ [M+H] + 305.1501: found: 305.1515.

***N*-(2-ethyl-5-(3-methoxyphenyl)oxazol-4-yl)propionamide (3l)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (118 mg, 71%) as off white fuffy solid. M.p.: 119-121°C. IR (neat) ν_{max} 3411, 1646, 1623, 1471, 1281, 1092, 711, 690 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.17 (s, 1H), 7.37 – 7.28 (m, 2H), 7.25 (s, 1H), 6.91 – 6.86 (m, 1H), 3.78 (s, 3H), 2.76 (q, *J* = 7.6 Hz, 2H), 2.40 (q, *J* = 7.5 Hz, 2H), 1.27 (t, *J* = 7.6 Hz, 3H), 1.11 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 174.39 (s), 162.28 (s), 159.81 (s), 138.30 (s), 132.68 (s), 130.13 (s), 129.90 (s), 118.48 (s), 113.67 (s), 111.37 (s), 55.45 (s), 28.93 (s), 21.63 (s), 11.25 (s), 9.76 (s). **HRMS** (ESI): m/z calcd. For $C_{15}H_{19}N_2O_3$ [M+H] + 275.1396: found: 275.1402.

3-methoxy-*N*-(2-(3-methoxyphenyl)-5-phenyloxazol-4-yl)benzamide (3m)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (253 mg, 85%) as off white fuffy solid. M.p.: 127-129°C. IR (neat) ν_{max} 3448, 1648, 1620, 1472, 1277, 1092, 711, 660 cm^{-1}; **^{1}H NMR** NMR (400 MHz, DMSO) δ 10.71 (s, 1H), 7.76 (d, *J* = 8.6 Hz, 2H), 7.68 (t, *J* = 6.9 Hz, 2H), 7.62 (d, *J* = 17.2 Hz, 2H), 7.59 – 7.50 (m, 3H), 7.49 (t, *J* = 8.0 Hz, 2H), 7.21 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.13 (dd, *J* = 8.2, 1.8 Hz, 1H), 3.86 (s, 6H). **^{13}C NMR** (101 MHz, DMSO) δ 166.13 (s), 160.15 (s), 159.82 (s), 158.07 (s), 141.86 (s), 135.04 (s), 133.36 (s), 132.77 (s), 130.92 (s), 130.22 (s), 129.38 (s), 128.02 (s), 126.91 (s), 126.69 (s), 120.59 (s), 118.72 (s), 118.70 (s), 117.53 (s), 113.34 (s), 111.23 (s), 55.79 (s), 55.78 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{21}N_2O_4$ [M+H] + 401.1501: found: 401.1503.

***N*-(5-(4-chlorophenyl)-2-phenyloxazol-4-yl)benzamide (3n)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (189 mg, 79%) as off white fuffy solid. M.p.: 121-123 °C. IR (neat) ν_{max} 3417, 1648, 1627, 1452, 1091, 705 cm^{-1};**^{1}H NMR** (400 MHz, DMSO) δ 10.71 (s, 1H), 8.14 – 8.04 (m, 4H), 7.76 (d, *J* = 8.8 Hz, 2H), 7.69 – 7.62 (m, 1H), 7.61 – 7.51 (m, 7H). **^{13}C NMR** (101 MHz, DMSO) δ 166.46 (s), 158.21 (s), 141.84 (s), 133.71 (s), 133.34 (s), 132.75 (s), 132.70 (s),

131.56 (s), 131.50 (s), 129.71 (s), 129.43 (s), 129.13 (s), 129.10 (s), 128.35 (s), 126.62 (s), 126.46 (s). **HRMS** (ESI): m/z calcd. For C_{22} H_{16} N_2 O_2 Cl [M+H] + 375.0900: found: 375.0906.

***N*-(5-(3,4-dimethoxyphenyl)-2-(m-tolyl)oxazol-4-yl)-3-methylbenzamide (30)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (185 mg, 84%) off white fuffy solid. M.p.: 137-139 °C. IR (neat) ν_{max} 3413, 1645, 1620, 1472, 1271, 1091, 719, 680 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.49 (s, 1H), 7.90 (d, *J* = 22.7 Hz, 4H), 7.46 (dd, *J* = 6.3, 2.9 Hz, 3H), 7.33 (dd, *J* = 10.7, 2.1 Hz, 3H), 7.08 (d, *J* = 8.4 Hz, 1H), 3.79 (s, 3H), 3.72 (s, 3H), 2.43 (s, 3H), 2.41 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 166.92 (s), 157.41 (s), 149.58 (s), 149.25 (s), 143.33 (s), 139.10 (s), 138.45 (s), 133.89 (s), 133.18 (s), 131.89 (s), 130.93 (s), 129.61 (s), 128.99 (s), 128.73 (s), 127.02 (s), 126.64 (s), 125.26 (s), 123.50 (s), 120.42 (s), 118.30 (s), 112.55 (s), 108.77 (s), 56.03 (s), 55.83 (s), 21.52 (s), 21.31 (s). **HRMS** (ESI): m/z calcd. For C_{26} H_{25} N_2 O_4 [M+H] + 429.1814: found: 429.1805.

***N*-(5-(4-chlorophenyl)-2-(2-ethoxyphenyl)oxazol-4-yl)-2-ethoxybenzamide (3p)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (240 mg, 87%) as off white fuffy solid. M.p.: 124-126 °C. IR (neat) ν_{max} 3428, 1645, 1628, 1472, 1276, 1091, 709, 689 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.20 (s, 1H), 7.96 (d, *J* = 7.4 Hz, 1H), 7.76 (d, *J* = 8.6 Hz, 2H), 7.71 (s, 1H), 7.58 (d, *J* = 8.6 Hz, 2H), 7.52 (t, *J* = 7.8 Hz, 2H), 7.22 (t, *J* = 7.6 Hz, 2H), 7.10 (q, *J* = 7.2 Hz, 2H), 4.23 (dq, *J* = 21.0, 6.9 Hz, 4H), 1.47 (t, *J* = 7.0 Hz, 3H), 1.43 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 165.64 (s), 157.62 (s), 157.19 (s), 156.67 (s), 140.96 (s), 133.06 (s), 133.03 (s), 132.03 (s), 130.62 (s), 130.32 (s), 129.34 (s), 127.14 (s), 126.66 (s), 123.96 (s), 121.06 (s), 121.02 (s), 115.79 (s), 114.15 (s), 113.46 (s), 64.79 (s), 64.57 (s), 15.21 (s), 14.99 (s). **HRMS** (ESI): m/z calcd. For C_{26} H_{24} N_2 O_4 Cl [M+H] + 463.1425: found: 463.1428.

4-(*tert*-butyl)-*N*-(2-(4-(tert-butyl)phenyl)-5-(4-chlorophenyl)oxazol-4-yl)benzamide (3q)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (235 mg, 81%) as off white fuffy solid. M.p.: 127-133 °C. IR (neat) ν_{max} 3419, 1645, 1620, 1461, 1277, 1091, 706, 695 cm^{-1}; **^{1}H NMR** NMR (400 MHz, DMSO) δ 10.53 (s, 1H), 8.04 – 7.93 (m, 4H), 7.68 (d, *J* = 8.6 Hz, 2H), 7.53 (t, *J* = 8.5 Hz, 4H), 7.45 (d, *J* = 8.6 Hz, 2H), 1.33 (s, 18H). **^{13}C NMR** (101 MHz, DMSO) δ 166.24 (s), 158.32 (s), 154.23 (s), 141.44 (s), 133.22 (s), 132.69 (s), 130.84 (s), 129.16 (s), 128.18 (s), 126.71 (d, *J* = 18.0 Hz), 126.26 (d, *J* = 7.5 Hz), 125.66 (s), 124.17 (s), 35.12 (s), 31.32 (s). **HRMS** (ESI): m/z calcd. For C_{30} H_{32} N_2 O_2 Cl [M+H] + 487.2152: found: 487.2147.

***N*-(5-(4-chlorophenyl)-2-(3-methoxyphenyl)oxazol-4-yl)-3-methoxybenzamide (3r)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (220 mg, 85%) as off white fuffy solid. M.p.: 133-135 °C. IR (neat) ν_{max} 3413, 1649, 1625, 1472, 1276, 1091, 703, 680 cm^{-1};**^{1}H NMR** (400 MHz, DMSO) δ 11.00 (s, 1H), 7.85 (d, *J* = 8.6 Hz, 2H), 7.64 (dd, *J* = 15.9, 8.0 Hz, 3H), 7.57 – 7.53 (m, 3H), 7.53 – 7.46 (m, 2H), 7.26 (dd, *J* = 8.2, 1.9 Hz, 1H), 7.15 (dd, *J* = 8.0, 2.2 Hz, 1H), 3.87 (s, 3H), 3.86 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.92 (s), 160.17 (s), 159.89 (s), 157.88 (s), 139.34 (s), 134.12 (s), 133.12 (s), 131.43 (s), 131.01 (s), 130.45 (s), 129.80 (s), 129.31 (s), 128.07 (s), 128.03 (s), 120.68 (s), 119.18 (s), 118.75 (s), 117.65 (s), 113.47 (s), 110.99 (s), 55.86 (s), 55.85 (s). **HRMS** (ESI): m/z calcd. For C_{24} H_{20} N_2 O_4 Cl [M+H] + 435.1112: found: 435.1104.

***N*-(5-(4-chlorophenyl)-2-(m-tolyl)oxazol-4-yl)-3-methylbenzamide (3s)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (185mg, 77%) as off white fuffy solid. M.p.: 119-121 °C. IR (neat) ν_{max} 3411, 1648, 1472, 1277, 709, 690 cm^{-1}; **^{1}H NMR** NMR (400 MHz, DMSO) δ 10.62 (s, 1H), 7.93 (s, 1H), 7.89 (d, *J* = 11.4 Hz, 3H), 7.75 (d, *J* = 8.7 Hz, 2H), 7.55 (d, *J* = 8.7 Hz, 2H), 7.46 (d, *J* = 5.6 Hz, 3H), 7.39 (d, *J* = 7.4 Hz, 1H), 2.42 (s, 3H), 2.42 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.57 (s), 158.31 (s), 141.74 (s), 139.15 (s), 138.46 (s), 133.69 (s), 133.27 (s), 132.82 (s), 132.20 (s), 129.53 (s), 129.50 (s), 128.93 (s), 128.91 (s), 127.11 (s), 126.79 (s), 126.75 (s), 125.42 (s), 123.68 (s), 21.42 (s), 21.38 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{20}ClN_2O_2$ [M+H] 403.1213: found: 403.1215.

***N*-(5-(4-chlorophenyl)-2-(4-fluorophenyl)oxazol-4-yl)-4-fluorobenzamide (3t)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (186 mg, 76%) as off white fuffy solid. M.p.: 128-130 °C. IR (neat) ν_{max} 3418, 1645, 1469, 1275, 709, 693 cm^{-1};**^{1}H NMR** NMR (400 MHz, DMSO) δ 10.72 (s, 1H), 8.18 – 8.08 (m, 4H), 7.73 (d, *J* = 8.6 Hz, 2H), 7.53 (d, *J* = 8.6 Hz, 2H), 7.40 (td, *J* = 8.8, 3.7 Hz, 4H).**^{13}C NMR** (101 MHz, DMSO) δ 166.20 (s), 165.37 (s), 163.71 (s), 162.91 (s), 157.46 (s), 141.86 (s), 133.35 (s), 132.62 (d, *J* = 11.8 Hz), 131.14 (d, *J* = 9.2 Hz), 130.17 (s), 129.39 (s), 129.01 (d, *J* = 8.8 Hz), 126.87 (s), 126.62 (s), 123.45 (d, *J* = 2.9 Hz), 116.98 (s), 116.76 (s), 116.16 (s), 115.94 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{14}N_2O_2F_2Cl$ [M+H] + 411.0712: found: 411.0714.

4-bromo-*N*-(2-(4-bromophenyl)-5-(4-chlorophenyl)oxazol-4-yl)benzamide (3u)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (253 mg, 75 %) as off white fuffy solid. M.p.: 131-133 °C. IR (neat) ν_{max} 3446, 1648, 1471, 1271,1090, 709, 690 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.80 (s, 1H), 8.04 (d, *J* = 8.5 Hz, 2H), 7.98 (d, *J* = 8.2 Hz, 2H), 7.79 (d, *J* = 8.5 Hz, 4H), 7.74 (d, *J* = 8.6 Hz, 2H), 7.55 (d, *J* = 8.6 Hz, 2H). **^{13}C NMR** (101 MHz, DMSO) δ 165.59 (s), 157.46 (s), 142.10 (s), 133.51 (s), 132.93(s), 132.71(s), 132.57 (s), 132.17 (s), 130.45 (s), 129.48 (s), 128.41 (s), 126.99 (s), 126.56 (s), 126.54 (s), 125.89 (s), 125.16 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{14}N_2O_2ClBr_2$ [M+H] + 530.9111: found: 530.9105.

***N*-(5-(4-chlorophenyl)-2-(4-methoxyphenyl)oxazol-4-yl)benzamide (3v)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (154 mg, 65%) as off white fuffy solid. M.p.: 124-127 °C. IR (neat) ν_{max} 3461, 1645, 1476, 1279,1089, 707, 688 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.70 (s, 1H), 8.06 (d, *J* = 6.5 Hz, 2H), 7.77 (d, *J* = 8.0 Hz, 2H), 7.70 (d, *J* = 7.7 Hz, 1H), 7.65 (d, *J* = 7.3 Hz, 1H), 7.57 (dd, *J* = 13.0, 8.2 Hz, 5H), 7.51 (t, *J* = 8.0 Hz, 1H), 7.15 (dd, *J* = 8.3, 1.3 Hz, 1H), 3.87 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.46 (s), 160.17 (s), 158.06 (s), 141.94 (s), 133.66 (s), 133.37 (s), 132.74 (s), 132.64 (s), 131.01 (s), 129.45 (s), 129.11 (s), 128.32 (s), 128.00 (s), 126.93 (s), 126.66 (s), 118.84 (s), 117.62 (s), 111.22 (s), 55.84 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{18}N_2O_3Cl$ [M+H] + 405.1006: found: 405.0996.

***N*-(5-(4-chlorophenyl)-2-(thiophen-2-yl) oxazol-4-yl)-3-methoxybenzamide (3w)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (154 mg, 63%) as off white fuffy solid. M.p.: 129-131 °C. IR (neat) ν_{max} 3423, 1644, 1473, 1277, 1092, 711, 692 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.74 (s, 1H), 8.10 (s, 1H),

7.92 (d, *J* = 5.0 Hz, 1H), 7.89 – 7.86 (m, 1H), 7.76 (d, *J* = 8.6 Hz, 1H), 7.69 (d, *J* = 8.6 Hz, 2H), 7.55 (d, *J* = 8.4 Hz, 3H), 7.27 (dd, *J* = 5.8, 2.5 Hz, 2H), 3.86 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 161.01 (s), 160.16 (s), 154.75 (s), 141.16 (s), 138.86 (s), 133.37 (s), 133.14 (s), 132.07 (s), 130.96 (s), 130.57 (s),129.60 (s), 129.46 (s), 128.86 (s), 126.86 (s), 118.83 (s), 117.63 (s), 113.30 (s), 111.23 (s), 55.82 (s). **HRMS** (ESI): m/z calcd. For C_{21} H_{16} N_2 O_3 S Cl [M+H] + 411.0570: found: 411.0561.

***N*-(2-(4-(tert-butyl)phenyl)-5-(4-chlorophenyl)oxazol-4-yl)-3-methylbenzamide (3x)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (162 mg, 61%) as off white fuffy solid. M.p.: 135-137 °C. IR (neat) ν_{max} 3413, 1648, 1473, 1278,1090, 701, 686 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 10.63 (d, *J* = 9.1 Hz, 1H), 8.02 (d, *J* = 8.3 Hz, 2H), 7.90 (t, *J* = 11.1 Hz, 2H), 7.73 (t, *J* = 8.6 Hz, 2H), 7.58 (d, *J* = 8.3 Hz, 2H), 7.52 (d, *J* = 8.5 Hz, 2H), 7.44 (d, *J* = 4.8 Hz, 2H), 2.41 (s, 3H), 1.32 (s, 9H**). ^{13}C NMR** (101 MHz, DMSO) δ 166.48 (s), 158.33 (s), 155.58 (s), 154.35 (s), 141.50 (s), 138.42 (s), 133.69 (s), 133.23 (s), 132.80 (s), 129.38 (s), 128.92 (s), 128.25 (s), 126.77 (s), 126.41 (d, *J* = 17.6 Hz), 125.87 (s), 125.44 (s), 124.17 (s), 35.17 (s), 31.31 (s), 21.42 (s). **HRMS** (ESI): m/z calcd. For C_{27} H_{26} N_2 O_2 Cl [M+H] + 445.1683: found: 445.1675.

***N*-(2-ethyl-5-(4-nitrophenyl)oxazol-4-yl)propionamide (3y)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (102 mg, 58%) as off-white brown fuffy solid. M.p.: 122-125 °C. IR (neat) ν_{max} 3241, 1692, 1512, 1346,1333, 858, 725 cm^{-1}; ^{1}H NMR (400 MHz, DMSO) δ 10.42 (s, 1H), 8.29 (d, *J* = 8.8 Hz, 2H), 7.92 (d, *J* = 8.6 Hz, 2H), 2.79 (q, *J* = 7.5 Hz, 2H), 2.44 (dd, *J* = 14.9, 7.4 Hz, 2H), 1.28 (t, *J* = 7.6 Hz, 3H), 1.11 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 174.10 (s), 162.85 (s), 146.61 (s), 140.63 (s), 137.85 (s), 127.92 (s), 126.85 (s), 124.44 (s), 28.96 (s), 21.54 (s), 11.11 (s), 9.60 (s). **HRMS** (ESI): m/z calcd. For C_{14} H_{16} N_3 O_4 Cl [M+H] + 290.1141: found: 290.1147.

***N*-(2-ethyl-5-(4-(trifluoromethyl)phenyl)oxazol-4-yl)propionamide (3z)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (101 mg, 61%) as off white fuffy solid. M.p.: 127-131 °C. IR (neat) ν_{max} 3414, 1658, 1473, 1279,1070, 711, 686 cm^{-1}; ^{1}H NMR (400 MHz, DMSO) δ 10.31 (s, 1H), 7.90 (d, *J* = 8.1 Hz, 2H), 7.78 (d, *J* = 8.2 Hz, 2H), 2.78 (q, *J* = 7.5 Hz, 2H), 2.43 (q, *J* = 7.5 Hz, 2H), 1.28 (t, *J* = 7.6 Hz, 3H), 1.11 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 174.18 (s), 162.63 (s), 139.64 (s), 135.39 (s), 128.66 (d, *J* = 19.9 Hz), 128.21 (s), 127.89 (s), 126.74 – 125.53 (m), 123.36 (s), 28.93 (s), 21.57 (s), 11.10 (s), 9.61 (s). **HRMS** (ESI): m/z calcd. For C_{14} H_{16} N_3 O_4 Cl [M+H] + 313.1164: found: 313.1171.

2,2-dimesityl-1-phenylethan-1-one (3za) Side product

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 – 7.76 (m, 2H), 7.41 (dd, *J* = 10.6, 4.2 Hz, 1H), 7.29 (t, *J* = 7.7 Hz, 2H), 6.70 (s, 4H), 6.10 (s, 1H), 2.16 (s, 6H), 1.94 (s, 12H). **13C NMR** (101 MHz, CDCl3) δ 201.32 (s), 137.55 (s), 137.52 (s), 136.27 (s), 134.13 (s), 132.98 (s), 130.44 (s), 128.72 (s), 128.03 (s), 55.19 (s), 21.41 (s), 20.76 (s).

***N*-(2-(4-chlorophenyl)-2-oxo-1-phenylethyl)benzamide (5a)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (171 mg, 82%) as a brown solid. M.p.: 151-153 °C. IR (neat) ν_{max} 3470, 3391, 1646, 1515,1484, 1291, 802, 724, 698 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89 – 7.83 (m, 2H), 7.77 – 7.72 (m, 2H), 7.66 (d, *J* = 6.9 Hz, 1H), 7.44 – 7.12 (m, 11H), 6.64 (d, *J* = 7.1 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.78 (s), 166.51 (s), 140.42 (s), 136.87 (s), 133.75 (s), 132.61 (s), 131.85 (s), 130.57 (s), 129.39 (s), 129.15 (s), 128.63 (s), 128.61 (s), 128.35 (s), 127.23 (s), 59.02 (s). **HRMS** (ESI): m/z calcd. For $C_{21}H_{17}ClNO_2$ [M+H] + 350.0948: found: 350.0939.

***N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)-2-ethoxybenzamide (5b)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (206 mg, 86%) as brown solid. M.p.: 153-155 °C. IR (neat) ν_{max} 3473, 3391, 1646, 1523,1481, 1281, 724, 691 cm^{-1}; **^{1}H NMR** NMR (400 MHz, DMSO) δ 9.26 (s, 1H), 8.03 (d, *J* = 8.6 Hz, 2H), 7.88 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.46 (d, *J* = 8.6 Hz, 2H), 7.44 – 7.39 (m, 1H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.08 (t, *J* = 8.1 Hz, 3H), 6.98 (t, *J* = 7.5 Hz, 1H), 6.66 (d, *J* = 6.4 Hz, 1H), 4.14 (dd, *J* = 6.9, 3.7 Hz, 2H), 2.14 (s, 3H), 1.40 (t, *J* = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 195.25 (s), 163.80 (s), 157.42 (s), 139.14 (s), 138.08 (s), 134.39 (s), 133.68 (s), 133.46 (s), 131.54 (s), 131.22 (s), 130.01 (s), 129.40 (s), 128.56 (s), 121.14 (s), 121.11 (s), 113.51 (s), 65.18 (s), 59.14 (s), 21.08 (s), 15.03 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{22}ClNO_3$ [M+H] + 408.1366: found: 408.1361.

***N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)-2-methylbenzamide (5c)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (173 mg, 78%) as a brown solid. M.p.: 149-151 °C. IR (neat) ν_{max} 3472, 3388, 1645, 1517,1480, 1297, 721, 692 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.18 (d, *J* = 6.9 Hz, 1H), 8.15 (d, *J* = 8.4 Hz, 2H), 7.57 (d, *J* = 8.3 Hz, 2H), 7.49 (d, *J* = 7.8 Hz, 2H), 7.44 (d, *J* = 7.3 Hz, 1H), 7.33 (d, *J* = 7.1 Hz, 1H), 7.25 (d, *J* = 7.0 Hz, 2H), 7.19 (d, *J* = 7.7 Hz, 2H), 6.78 (d, *J* = 6.9 Hz, 1H), 2.41 (s, 3H), 2.26 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 200.54 (s), 174.22 (s), 143.59 (s), 142.72 (s), 141.54 (s), 140.87 (s), 139.05 (s), 138.11 (s), 135.65 (s), 135.60 (s), 134.59 (s), 134.50 (s), 134.09 (s), 134.03 (s), 132.69 (s), 130.55 (s), 63.97 (s), 25.90 (s), 24.65 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{21}ClNO_2$ [M+H] + 378.1266: found: 378.1269.

2-chloro-*N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)benzamide (5d)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (180 mg, 77%) as off white solid. M.p.: 153-156 °C. IR (neat) ν_{max} 3476, 3375, 1646, 1517,1481, 1291, 721, 670 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.34 (d, *J* = 7.0 Hz, 1H), 8.08 (d, *J* = 8.6 Hz, 2H), 7.57 (d, *J* = 8.6 Hz, 2H), 7.50 – 7.36 (m, 6H), 7.16 (d, *J* = 8.1 Hz, 2H), 6.67 (d, *J* = 7.0 Hz, 1H), 2.25 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 195.32 (s), 166.52 (s), 138.83 (s), 138.01 (s), 136.45 (s), 134.04 (s), 133.06 (s), 131.40 (s), 131.02 (s), 130.61 (s), 130.04 (s), 129.72 (s), 129.60 (s), 129.29 (s), 129.20 (s), 127.40 (s), 58.98 (s), 21.14 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{18}Cl_2NO_2$ [M+H] + 398.0715: found: 398.0711.

3-chloro-*N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)benzamide (5e)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (175 mg, 75%) as off white solid. M.p.: 155-157 °C. IR (neat) ν_{max} 3470, 3361, 1645, 1531, 1481, 1291, 802, 724, 699 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.30 (s, 1H), 8.07 (d, *J* = 8.7 Hz, 2H), 8.04 (t, *J* = 1.8 Hz, 1H), 7.93 – 7.89 (m, 1H), 7.59 (ddd, *J* = 8.0, 2.1, 1.0 Hz, 1H), 7.52 (dd, *J* = 14.5, 8.3 Hz, 3H), 7.43 (d, *J* = 8.1 Hz, 2H), 7.17 (d, *J* = 7.9 Hz, 2H), 6.72 (d, *J* = 6.5 Hz, 1H), 2.24 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 200.18 (s), 170.15 (s), 143.59

(s), 142.94 (s), 140.72 (s), 138.87 (s), 138.36 (s), 137.60 (s), 136.55 (s), 135.67 (s), 135.40 (s), 134.56 (s), 134.32 (s), 134.10 (s), 132.76 (s), 131.79 (s), 64.34 (s), 25.88 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{18}Cl_2NO_2$ [M+H] + 398.0715: found: 398.0711.

***N*-(2-(4-chlorophenyl)-1-(2,5-dimethylphenyl)-2-oxoethyl)-2-ethoxybenzamide (5f)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (182 mg, 79%) as off white solid. M.p.: 149-151 °C. IR (neat) ν_{max} 3463, 3335, 1641, 1484, 1291, 820, 723, 697 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.37 (s, 1H), 7.96 (d, *J* = 7.8 Hz, 1H), 7.91 (s, 2H), 7.54 – 7.47 (m, 1H), 7.43 – 7.34 (m, 4H), 7.15 (dd, *J* = 12.4, 8.2 Hz, 3H), 7.06 (t, *J* = 7.5 Hz, 1H), 6.75 (d, *J* = 6.5 Hz, 1H), 2.33 (s, 3H), 2.20 (s, 3H), 1.49 (t, *J* = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 196.31 (s), 163.73 (s), 157.44 (s), 138.79 (s), 137.93 (s), 134.80 (s), 133.70 (s), 131.56 (s), 129.96 (s), 129.63 (s), 129.14 (s), 128.52 (s), 126.68 (s), 121.16 (d, *J* = 17.8 Hz), 113.54 (s), 65.20 (s), 59.01 (s), 21.13 (s), 21.09 (s), 15.05 (s). **HRMS** (ESI): m/z calcd. For $C_{20}H_{24}NO_2$ [M+H] + 310.1807: found: 310.1812.

***N*-(2-oxo-2-phenyl-1-(p-tolyl)ethyl)benzamide (5g)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (208 mg, 85%) as a brown solid. M.p.: 156-157 °C. IR (neat) ν_{max} 3470, 3391, 1645, 1484, 1281, 809, 736, 692 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 2H), 7.77 – 7.68 (m, 3H), 7.41 – 7.33 (m, 2H), 7.27 (d, *J* = 8.1 Hz, 6H), 6.99 (d, *J* = 7.9 Hz, 2H), 6.64 (d, *J* = 7.1 Hz, 1H), 2.13 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.98 (s), 166.44 (s), 138.32 (s), 134.31 (s), 134.31 (s), 133.90 (s), 133.60 (s), 131.73 (s), 129.97 (s), 129.23 (s), 128.76 (s), 128.56 (s), 128.30 (s), 127.25 (s), 58.72 (s), 21.16 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{20}NO_2$ [M+H] + 330.1494: found: 330.1494.

***N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)benzamide (5h)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (173 mg, 81%) as a brown solid. M.p.: 151-153 °C. IR (neat) ν_{max} 3463, 3378, 1646, 1523, 1481, 1261, 720, 690 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 11.03 (s, 1H), 8.07 – 8.05 (m, 3H), 7.92 (d, *J* = 7.5 Hz, 1H), 7.87 (d, *J* = 8.5 Hz, 2H), 7.68 (d, *J* = 7.4 Hz, 1H), 7.58 (d, *J* = 2.7 Hz, 4H), 7.47 (d, *J* = 7.8 Hz, 1H), 7.39 (s, 1H), 7.16 (s, 1H), 2.26 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 195.66 (s), 166.75 (s), 158.07 (s), 139.37 (s), 138.02 (s), 134.25 (s), 133.10 (s), 130.90 (s), 129.73 (s), 129.29 (s), 129.26 (s), 128.48 (s), 128.00 (s), 126.38 (s), 59.39 (s), 21.14 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{19}ClNO_2$ [M+H] + 364.1104: found: 364.1107.

***N*-(2-(4-chlorophenyl)-1-(2,5-dimethylphenyl)-2-oxoethyl)-2-ethoxybenzamide (5i)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (213 mg, 86%) as a brown solid. M.p.: 153-155 °C. IR (neat) ν_{max} 3471, 3381, 1636, 1481, 1291, 723, 693 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.38 (d, *J* = 6.5 Hz, 1H), 7.99 – 7.94 (m, 1H), 7.91 (d, *J* = 6.8 Hz, 2H), 7.54 – 7.47 (m, 1H), 7.39 (d, *J* = 7.5 Hz, 4H), 7.15 (dd, *J* = 12.4, 8.2 Hz, 3H), 7.06 (t, *J* = 7.5 Hz, 1H), 6.75 (d, *J* = 6.5 Hz, 1H), 4.22 (dd, *J* = 6.9, 3.0 Hz, 2H), 2.33 (s, 3H), 2.20 (s, 3H), 1.49 (t, *J* = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 196.04 (s), 164.04 (s), 157.31 (s), 138.95 (s), 136.00 (s), 135.23 (s), 134.10 (s), 133.69 (s), 133.67 (s), 131.64 (s), 131.40 (s), 130.69 (s), 129.54 (s), 128.54 (s), 121.26 (s), 113.60 (s), 65.14 (s), 56.94 (s), 20.99 (s), 19.17 (s), 14.85 (s). **HRMS** (ESI): m/z calcd. For $C_{25}H_{25}ClNO_3$ [M+H] + 422.1523: found: 422.1527.

***N*-(2-(4-chlorophenyl)-1-(2,5-dimethylphenyl)-2-oxoethyl)-2-methylbenzamide (5j)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (186 mg, 81%) as brown solid. M.p.: 157-159 °C. IR (neat) ν_{max} 3460, 3389, 1645, 1521,1471, 1291, 719, 683 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 9.13 (d, *J* = 7.5 Hz, 1H), 7.97 (d, *J* = 8.6 Hz, 2H), 7.57 (d, *J* = 8.6 Hz, 2H), 7.42 – 7.38 (m, 1H), 7.36 – 7.30 (m, 1H), 7.26 – 7.22 (m, 2H), 7.19 (d, *J* = 7.8 Hz, 1H), 7.04 (d, *J* = 7.7 Hz, 1H), 6.95 (s, 1H), 6.75 (d, *J* = 7.5 Hz, 1H), 2.49 (s, 3H), 2.38 (s, 3H), 2.18 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 196.21 (s), 169.51 (s), 138.83 (s), 136.78 (s), 135.93 (s), 135.50 (s), 134.50 (s), 134.48 (s), 133.86 (s), 131.25 (s), 130.68 (s), 130.61 (s), 129.88 (s), 129.44 (s), 129.43 (s), 127.86 (s), 125.79 (s), 56.55 (s), 21.08 (s), 19.83 (s), 19.07 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{22}ClNO_2$ [M+H] + 392.1417: found: 392.1423.

***N*-(2-oxo-1-(p-tolyl)-2-(4-(trifluoromethyl)phenyl)ethyl)butyramide (5k)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (138 mg, 77%) as brown solid. M.p.: 161-163 °C. IR (neat) ν_{max} 3460, 3357, 1647, 1527,1461, 1291, 719, 681 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.2 Hz, 2H), 7.57 (d, *J* = 8.3 Hz, 2H), 7.17 (d, *J* = 8.1 Hz, 2H), 7.05 (d, *J* = 7.9 Hz, 2H), 6.47 (d, *J* = 7.2 Hz, 1H), 2.20 (s, 3H), 2.19 – 2.14 (m, 2H), 1.59 (dd, *J* = 14.9, 7.4 Hz, 2H), 0.85 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.25 (s), 172.39 (s), 138.72 (s), 137.23 (s), 133.39 (s), 130.15 (s), 129.38 (s), 128.14 (s), 125.74 (s), 125.71 (s), 58.60 (s), 38.35 (s), 21.12 (s), 18.96 (s), 13.68 (s). **HRMS** (ESI): m/z calcd. For $C_{20}H_{21}F_3NO_2$ [M+H] + 364.1524: found: 364.1527.

***N*-(2-(2-ethoxyphenyl)-2-oxo-1-(p-tolyl)ethyl)benzamide (5l)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (180 mg, 86%) as brown solid. M.p.: 201-203 °C. IR (neat) ν_{max} 3405, 3357, 1641, 1508,1449, 1230, 753, 690 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.50 (d, *J* = 6.7 Hz, 1H), 8.09 (d, *J* = 7.8 Hz, 1H), 7.93 (d, *J* = 7.5 Hz, 2H), 7.38 – 7.33 (m, 1H), 7.28 (dd, *J* = 7.4, 4.6 Hz, 4H), 6.99 (d, *J* = 7.9 Hz, 2H), 6.89 (t, *J* = 7.5 Hz, 1H), 6.81 (d, *J* = 8.3 Hz, 1H), 6.69 (d, *J* = 6.9 Hz, 1H), 4.08 (dd, *J* = 6.9, 4.4 Hz, 2H), 2.13 (s, 3H), 1.54 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.92 (s), 164.46 (s), 157.48 (s), 138.02 (s), 134.67 (s), 134.65 (s)133.56 (s), 133.03 (s), 132.25 (s), 129.84 (s), 129.11 (s), 128.69 (s), 128.26 (s), 120.95 (s), 120.94 (s),112.17 (s), 64.87 (s), 59.08 (s), 21.15 (s), 14.93 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{24}NO_3$ [M+H] + 374.1756: found: 374.1761.

***N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)benzenesulfonamide (5m)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (202 mg, 86%) as off white solid. M.p.: 119-121°C. IR (neat) ν_{max} 3453, 3297, 1690, 1353, 1310, 1166, 1149, 990, 717, 564 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 8.7 Hz, 2H), 7.59 – 7.53 (m, 2H), 7.29 (dd, *J* = 10.8, 4.1 Hz, 1H), 7.22 (d, *J* = 8.5 Hz, 2H), 7.17 (dd, *J* = 8.8, 6.7 Hz, 2H), 6.95 (d, *J* = 8.1 Hz, 2H), 6.85 (d, *J* = 7.9 Hz, 2H), 6.28 (d, *J* = 6.3 Hz, 1H), 5.88 (d, *J* = 7.4 Hz, 1H), 2.12 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 193.36 (s), 140.46 (s), 138.70 (s), 132.35 (s), 132.29 (s), 132.18 (s), 131.90 (s), 130.35 (s), 129.87 (s), 129.08 (s), 128.71 (s), 128.03 (s), 126.92 (s), 61.66 (s), 21.06 (s). **HRMS** (ESI): m/z calcd. For $C_{21}H_{19}ClNO_3S$ [M+H] + 400.0774: found: 400.0764.

***N*-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)-2-nitrobenzenesulfonamide (5n)**

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (186 mg, 71%) as off white solid. M.p.: 121-123 °C. IR (neat) ν_{max} 3451, 3291, 1690, 1357, 1313, 1161, 1153, 990, 711, 560 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 8.7 Hz, 2H), 7.64 (d, *J* = 8.1 Hz, 1H), 7.47 – 7.41 (m, 2H), 7.24 (d, *J* = 8.5 Hz, 3H), 7.01 (d, *J* = 8.1 Hz, 2H), 6.77 (d, *J* = 7.9 Hz, 2H), 6.06 (d, *J* = 7.8 Hz, 1H), 2.05 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 192.16 (s), 147.13 (s), 140.58 (s), 139.09 (s), 134.45 (s), 132.81 (s), 132.36 (s), 131.85 (s), 131.46 (s), 130.40 (s), 130.10 (s), 129.76 (s), 129.19 (s), 128.24 (s), 124.97 (s), 62.50 (s), 20.97 (s). **HRMS** (ESI): m/z calcd. For $C_{21}H_{18}ClN_2O_5S$ [M+H] + 445.0625: found: 445.0623.

N-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)-4-(trifluoromethyl)benzenesulfonamide (5o)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (215 mg, 78%) as off white solid. M.p.: 114-116 °C. IR (neat) ν_{max} 3453, 3297, 1690, 1353, 1310, 1166, 1149, 990, 717, 564 cm^{-1}; **^{1}H NMR** (400 MHz, DMSO) δ 8.89 (d, *J* = 8.6 Hz, 1H), 8.03 (d, *J* = 7.6 Hz, 1H), 7.95 (d, *J* = 8.3 Hz, 2H), 7.87 (d, *J* = 7.5 Hz, 1H), 7.70 (dd, *J* = 12.4, 7.6 Hz, 2H), 7.49 (d, *J* = 8.4 Hz, 2H), 7.20 (d, *J* = 7.8 Hz, 2H), 7.04 (d, *J* = 7.7 Hz, 2H), 6.18 (d, *J* = 8.7 Hz, 1H), 2.19 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 194.47 (s), 140.45 (s), 139.08 (s), 138.28 (s), 133.27 (d, *J* = 3.2 Hz), 133.49 – 132.87 (m), 132.91 (d, *J* = 34.0 Hz), 132.74 (s), 131.10 (s), 130.86 (s), 129.83 (s), 129.37 (s), 128.81 (s), 128.39 (d, *J* = 6.3 Hz), 128.39 (d, *J* = 6.3 Hz), 61.98 (s), 21.05 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{18}ClF_3NO_3S$ [M+H] + 468.0648: found: 468.0638.

N-(2-(4-chlorophenyl)-2-oxo-1-(p-tolyl)ethyl)-2 -(trifluoromethoxy)benzenesulfonamide (5p)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (202 mg, 71%) as off white solid. M.p.: 115-117°C. IR (neat) ν_{max} 3455, 3267, 1680, 1353, 1310, 1160, 1149, 990, 727, 569 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 (d, *J* = 8.7 Hz, 3H), 7.55 (d, *J* = 7.8 Hz, 1H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.13 (d, *J* = 8.7 Hz, 3H), 6.88 (d, *J* = 8.0 Hz, 2H), 6.70 (d, *J* = 7.9 Hz, 2H), 6.46 (d, *J* = 7.0 Hz, 1H), 5.93 (d, *J* = 7.2 Hz, 1H), 1.97 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 13C NMR (101 MHz, CDCl3) δ 192.57 (s), 140.48 (s), 139.15 (s), 138.84 (s), 132.01 (d, J = 15.1 Hz), 131.68 (d, J = 31.8 Hz), 131.05 (s), 130.39 (s), 129.77 (s), 129.10 (s), 128.15 (s), 128.00 (d, J = 6.2 Hz), 127.29 (d, J = 27.9 Hz), 127.10 (s), 126.77 (s), 126.02 (d, J = 85.9 Hz), 62.09 (s), 20.92 (s). **^{19}F NMR** (377 MHz, $CDCl_3$) δ -57.85 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{18}ClF_3NO_4S$ [M+H] + 484.0597: found: 484.0599.

N-(2-(4-chlorophenyl)-1-(2,5-dimethylphenyl)-2-oxoethyl)benzenesulfonamide (5q)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (207 mg, 85%) as off white solid. M.p.: 114-116 °C. IR (neat) ν_{max} 3447, 3300, 1697, 1353, 1310, 1163, 989, 701, 556 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.58 – 7.53 (m, 2H), 7.50 (d, *J* = 8.6 Hz, 2H), 7.26 (t, *J* = 7.4 Hz, 1H), 7.14 (dd, *J* = 8.0, 6.0 Hz, 4H), 6.83 (d, *J* = 7.8 Hz, 1H), 6.74 (d, *J* = 7.7 Hz, 1H), 6.58 (s, 1H), 6.23 (d, *J* = 7.7 Hz, 1H), 5.97 (d, *J* = 7.7 Hz, 1H), 2.31 (s, 3H), 1.93 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.27 (s), 140.23 (s), 140.11 (s), 136.36 (s), 133.23 (s), 132.71 (s), 132.69 (s), 132.40 (s), 131.60 (s), 129.86 (s), 129.63 (s),129.06 (s), 128.62 (s), 128.31 (s), 126.90 (s), 59.48 (s), 20.74 (s), 18.94 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{20}ClNO_3S$ [M+H] + 414.0931: found: 414.0931.

N-(2-(4-chlorophenyl)-1-(2,5-dimethylphenyl)-2-oxoethyl)-fluorobenzenesulfonamide (5)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (193 mg, 76%) as off white solid. M.p.: 123-125 °C. IR (neat) ν_{max} 3453, 3297, 1690, 1353, 1310, 1166, 1149, 990, 717, 564 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 8.6 Hz, 2H), 7.34 (d, *J* = 7.9 Hz, 1H), 7.21 (d, *J* = 8.6 Hz, 2H), 7.16 (d, *J* = 6.3 Hz, 2H), 6.98 (td, *J* = 8.2, 1.9 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 6.78 (d, *J* = 7.7 Hz, 1H), 6.59 (s, 1H), 6.24 (d, *J* = 7.1 Hz, 1H), 6.00 (d, *J* = 7.2 Hz, 1H), 2.33 (s, 3H), 1.97 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) 193.90 (s), 161.97 (d, J = 251.0 Hz), 142.52 (d, J = 6.8 Hz), 140.39 (s), 136.46 (s), 133.25 (s), 132.45 (d, J = 2.2 Hz), 132.45 (d, J = 2.2 Hz), 131.60 (s), 130.37 (d, J = 7.7 Hz), 129.98 (s), 129.92 (s), 129.14 (s), 128.67 (s), 122.56 (d, J = 3.3 Hz), 119.41 (d, J = 21.3 Hz), 114.25 (d, J = 24.7 Hz), 59.70 (s), 20.67 (s), 18.89 (s). **^{19}F NMR** (377 MHz, $CDCl_3$) δ -110.25 – -110.35 (m). **HRMS** (ESI): m/z calcd. For $C_{22}H_{20}ClFNO_3S$ [M+H] + 431.0836: found: 431.0839.

4-(*tert*-butyl)-*N*-(1-hydroxy-2-oxo-2-phenylethyl)benzamide 11

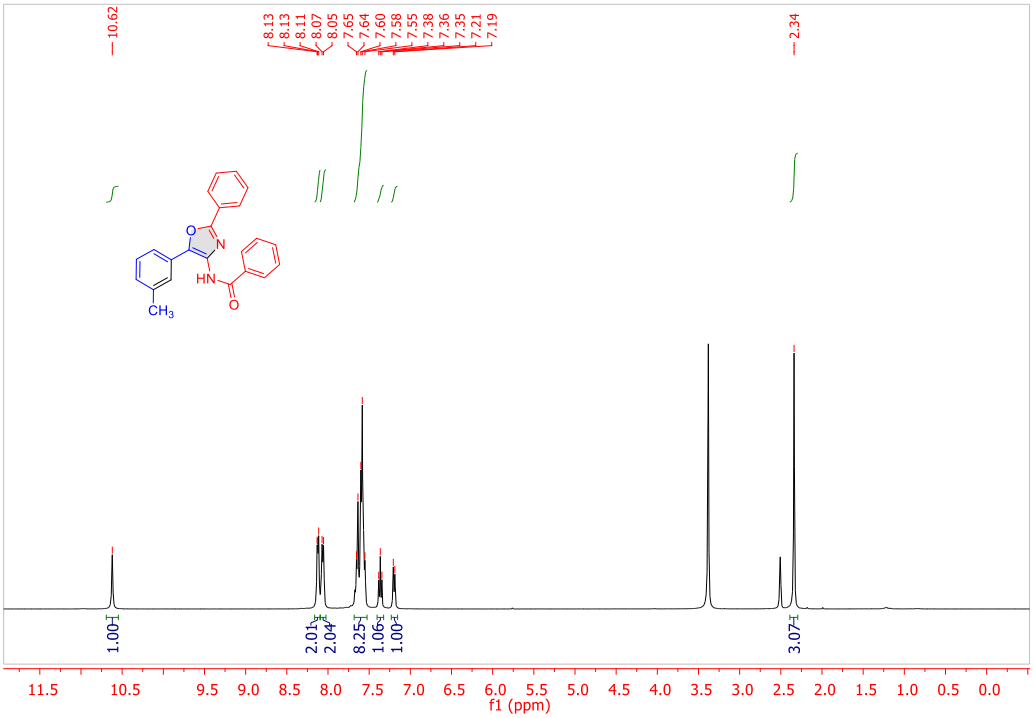
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 10:90); (138 mg, 63%) as a semi solid. ^{1}H NMR (400 MHz, DMSO) δ 9.14 (d, *J* = 7.6 Hz, 1H), 7.85 (s, 3H), 7.49 (d, *J* = 8.4 Hz, 3H), 7.40 (q, *J* = 7.7 Hz, 2H), 7.05 (t, *J* = 7.5 Hz, 1H), 2.35 (s, 3H), 1.27 (s, 9H). ^{13}C NMR (101 MHz, DMSO) δ 193.43 (s), 166.33 (s), 155.16 (s), 138.42 (s), 134.82 (s), 134.52 (s), 131.03 (s), 129.19 (s), 128.96 (s), 127.86 (s), 125.92 (s), 125.62 (s), 59.97 (s), 35.07 (s), 31.33 (s), 21.37 (s).

2,2-bis(3,5-dimethoxyphenyl)-1-phenylethan-1-one (5s)

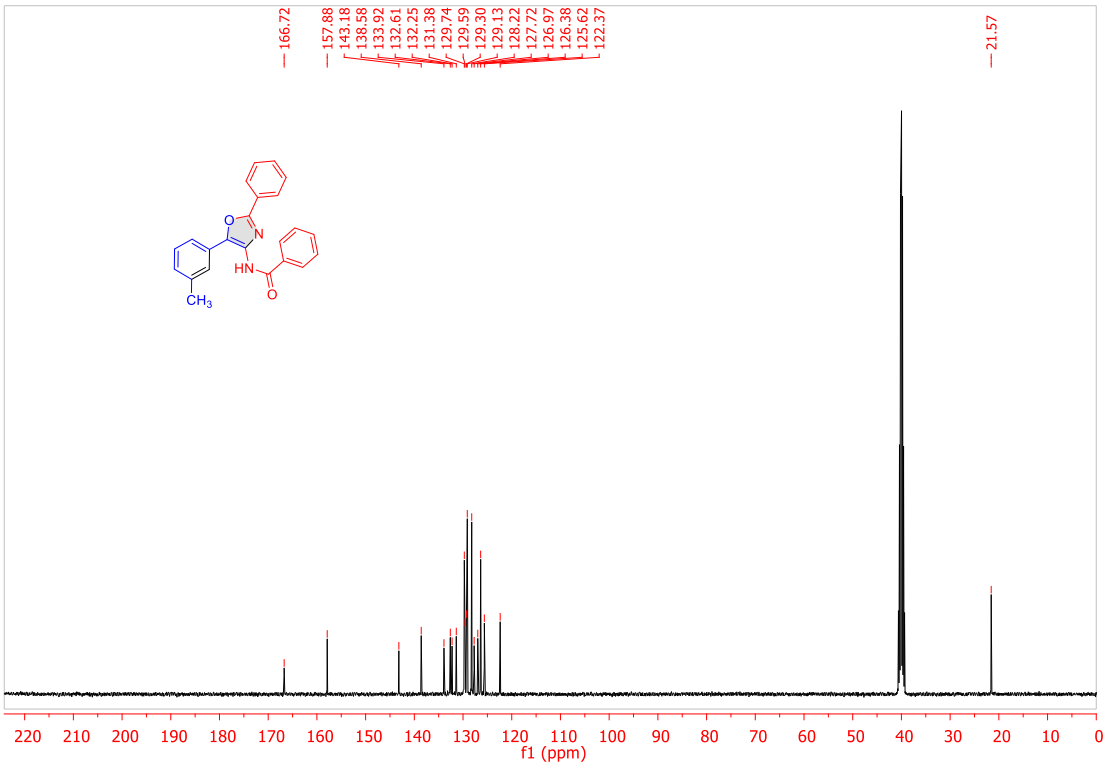
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, *J* = 8.3 Hz, 2H), 7.39 (t, *J* = 7.2 Hz, 1H), 7.30 (t, *J* = 7.7 Hz, 2H), 6.80 (d, *J* = 8.4 Hz, 2H), 6.44 – 6.39 (m, 3H), 6.34 (d, *J* = 2.3 Hz, 1H), 6.32 (d, *J* = 2.3 Hz, 1H), 3.70 (s, 6H), 3.68 (s, 6H). **^{13}C NMR** (101 MHz, CDCl3) δ 199.70 (s), 160.01 (s), 157.74 (s), 132.31 (s), 130.37 (s), 128.53 (s), 119.61 (s), 104.01 (s), 98.87 (s), 55.59 (s), 55.31 (s), 45.15 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{25}NO_5$ [M+H] + 393.1702: found: 393.1707.

^{1}H NMR, ^{13}C{^{1}H} and ^{19}F NMR spectra:

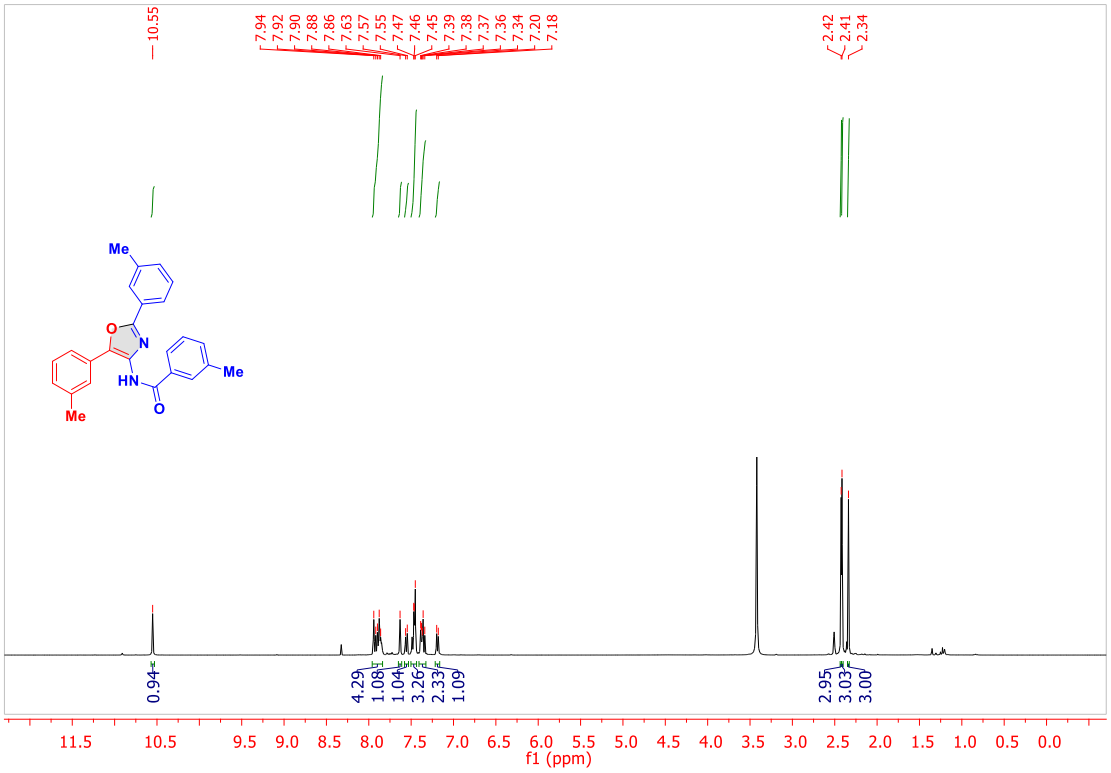
^{1}H NMR Of 3a in DMSO-d$_6$



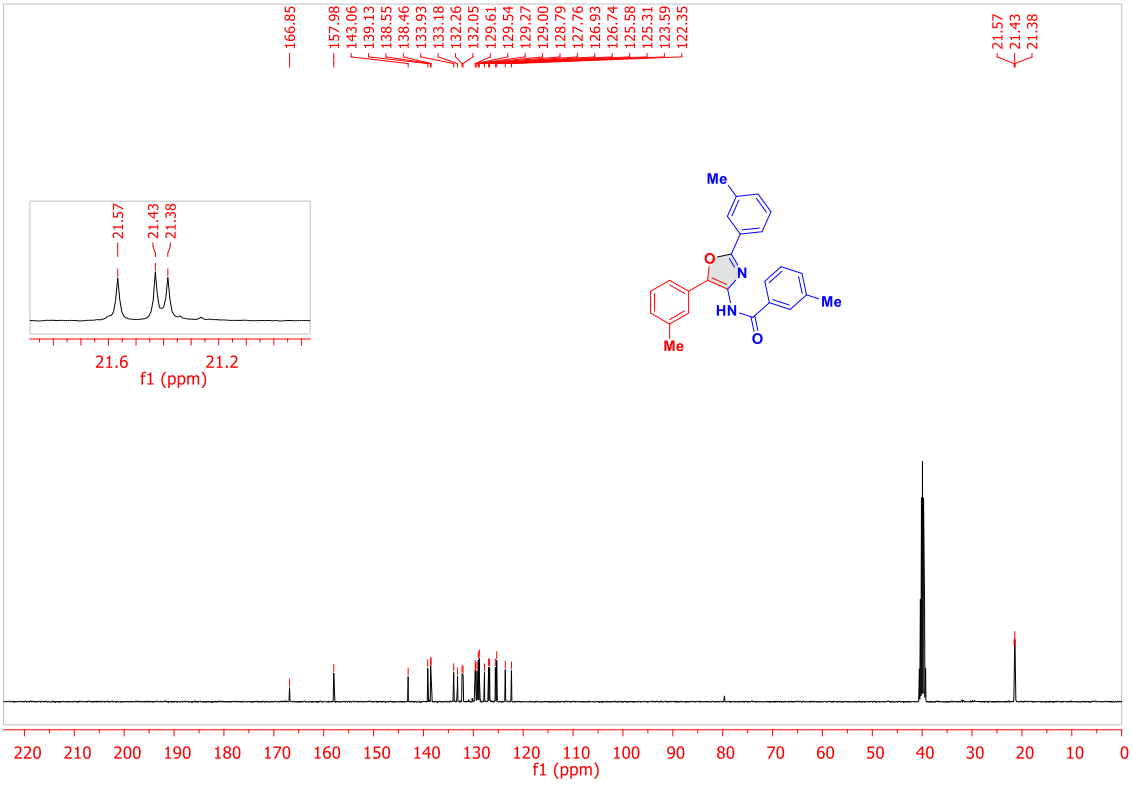
^{13}C{^{1}H} NMR of 3a in DMSO-d$_6$



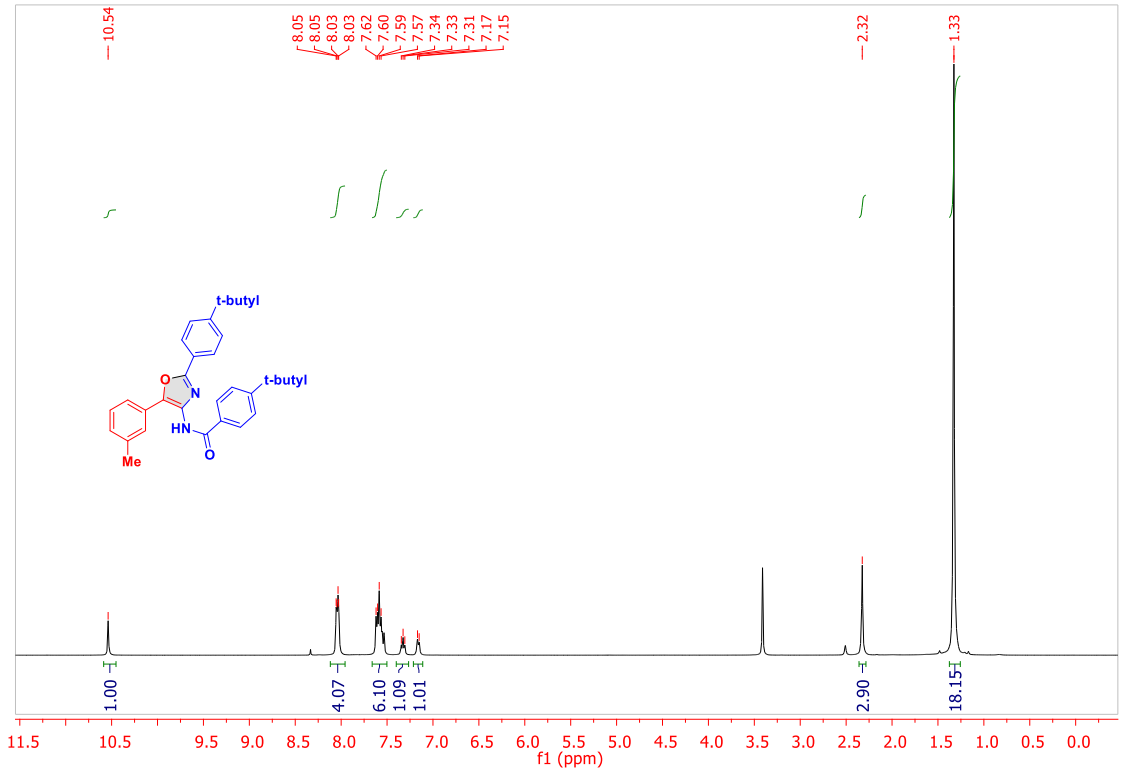
^{1}H NMR Of 3b in DMSO-d$_6$



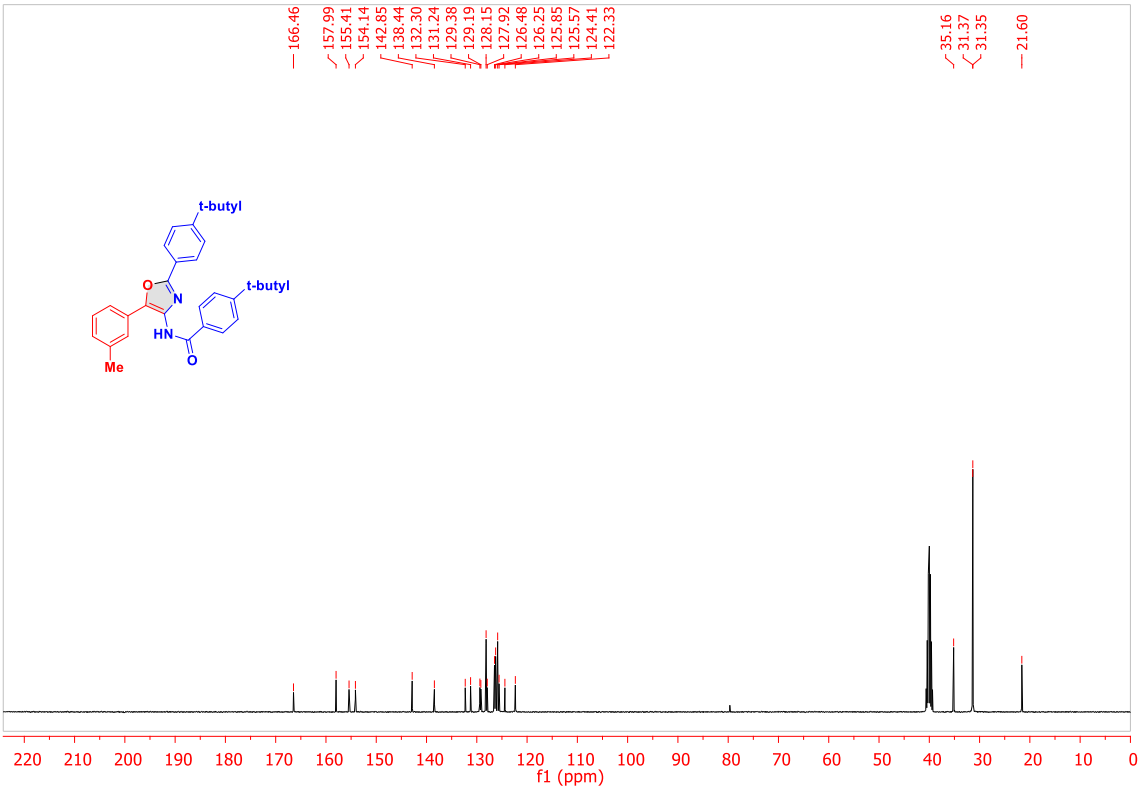
^{13}C{^{1}H} NMR of 3b in DMSO-d$_6$



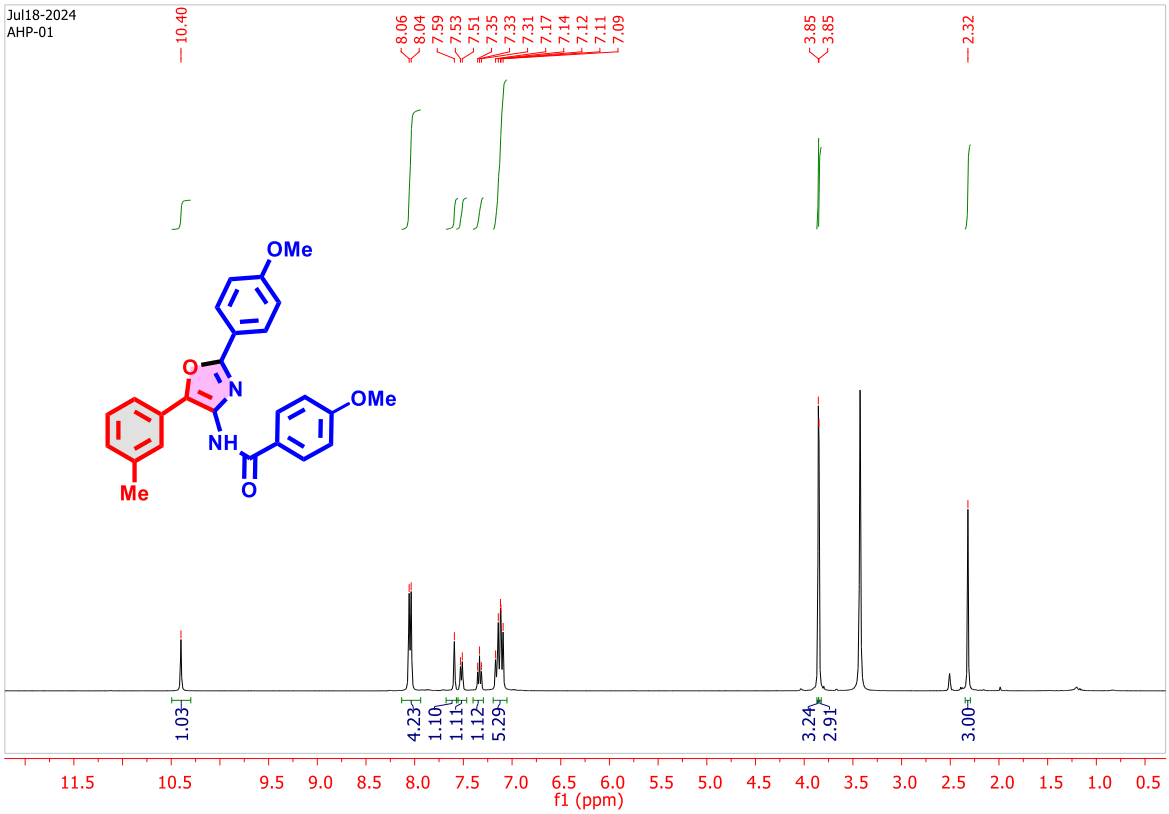
^{1}H NMR Of 3c in DMSO- d_6



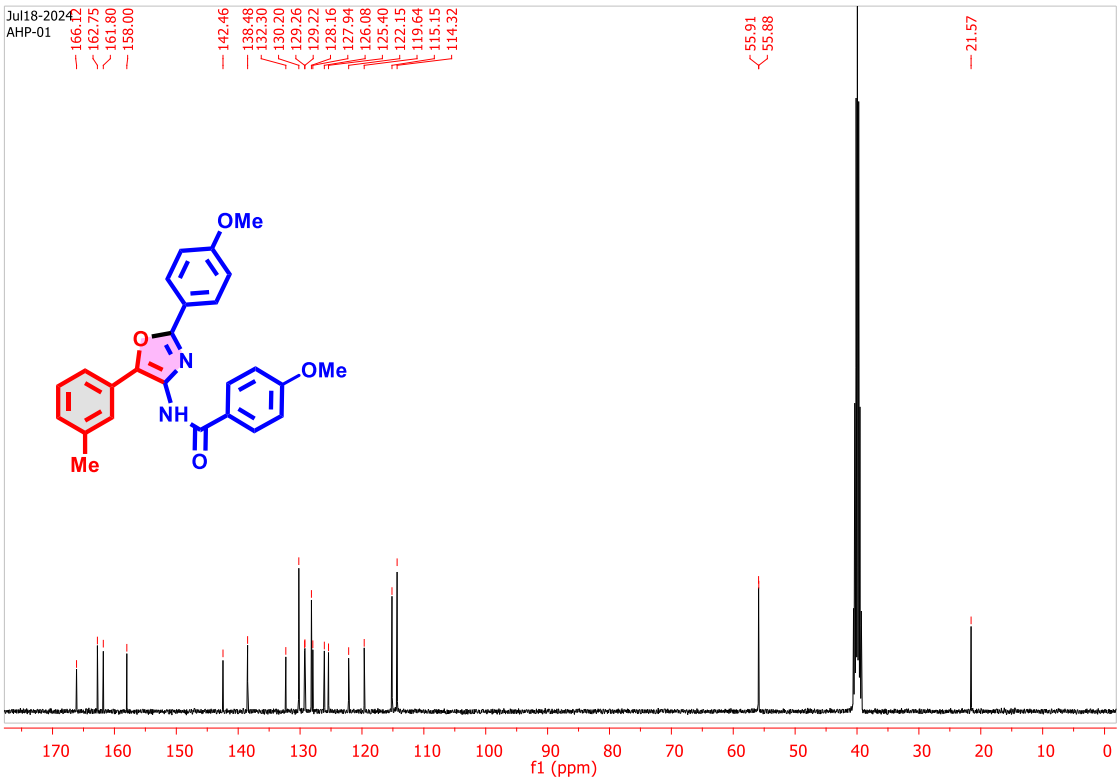
^{13}C{^{1}H} NMR of 3c in DMSO-d_6



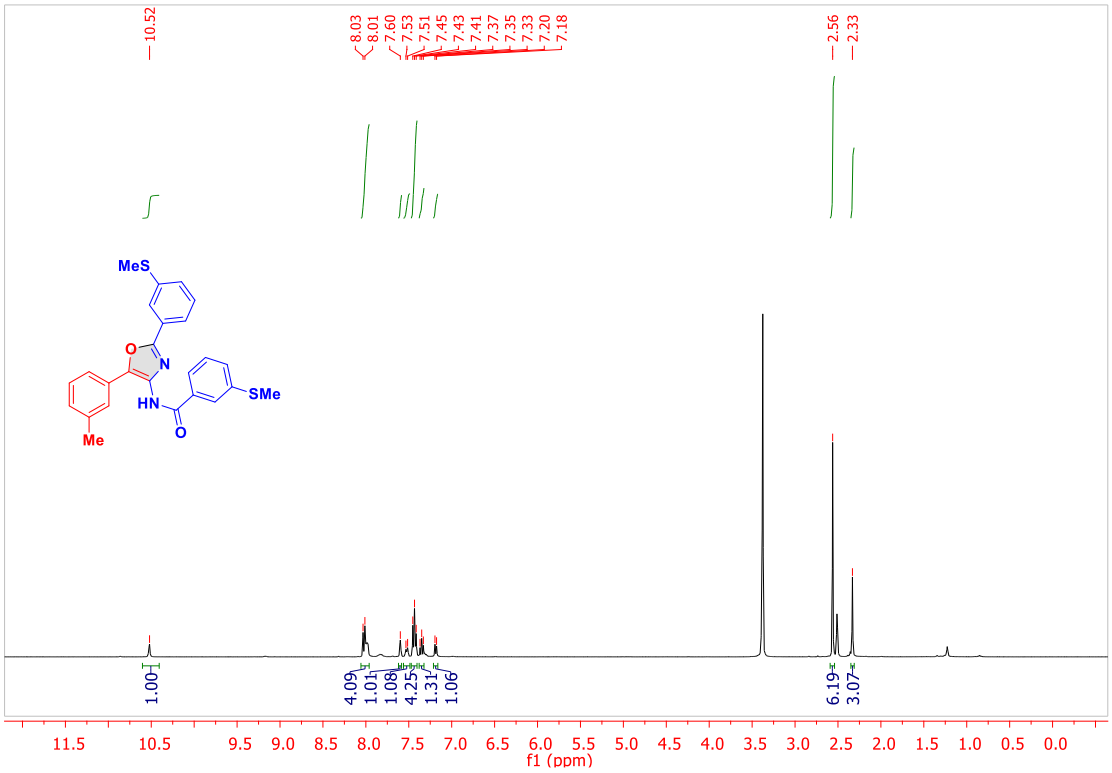
^{1}H NMR Of 3ca in DMSO-d$_6$



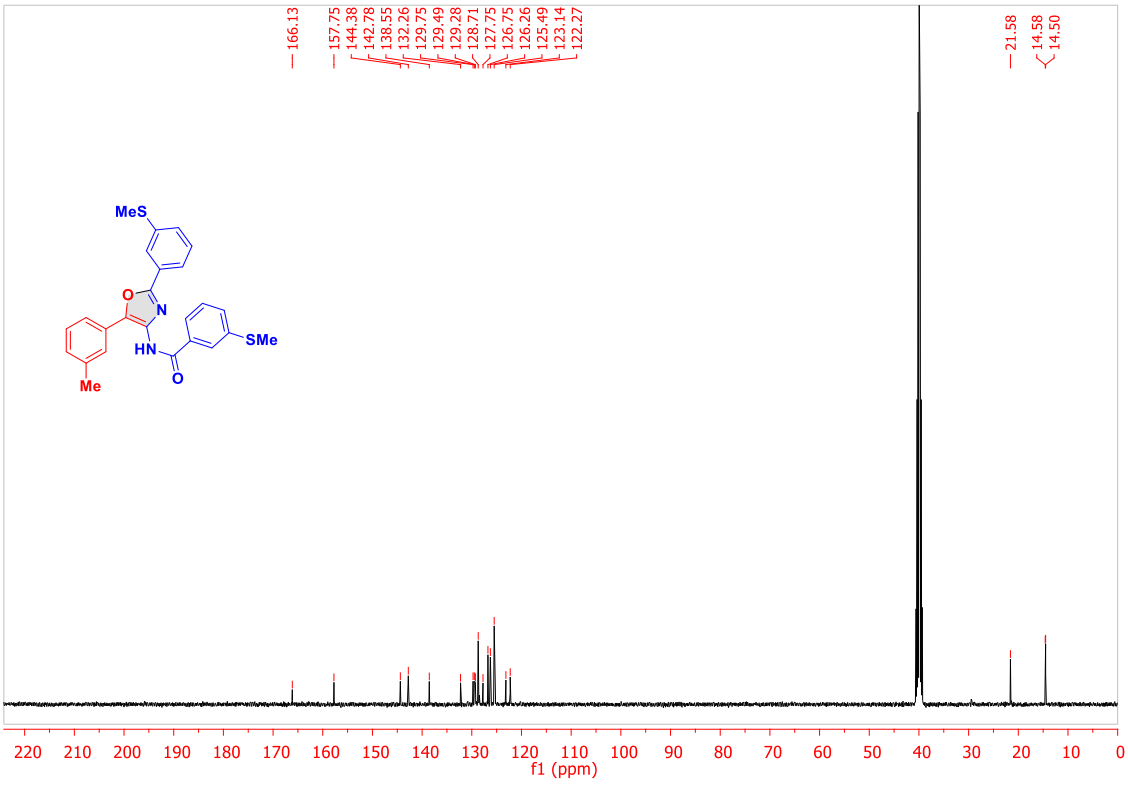
^{13}C{^{1}H} NMR of 3ca in DMSO-d$_6$



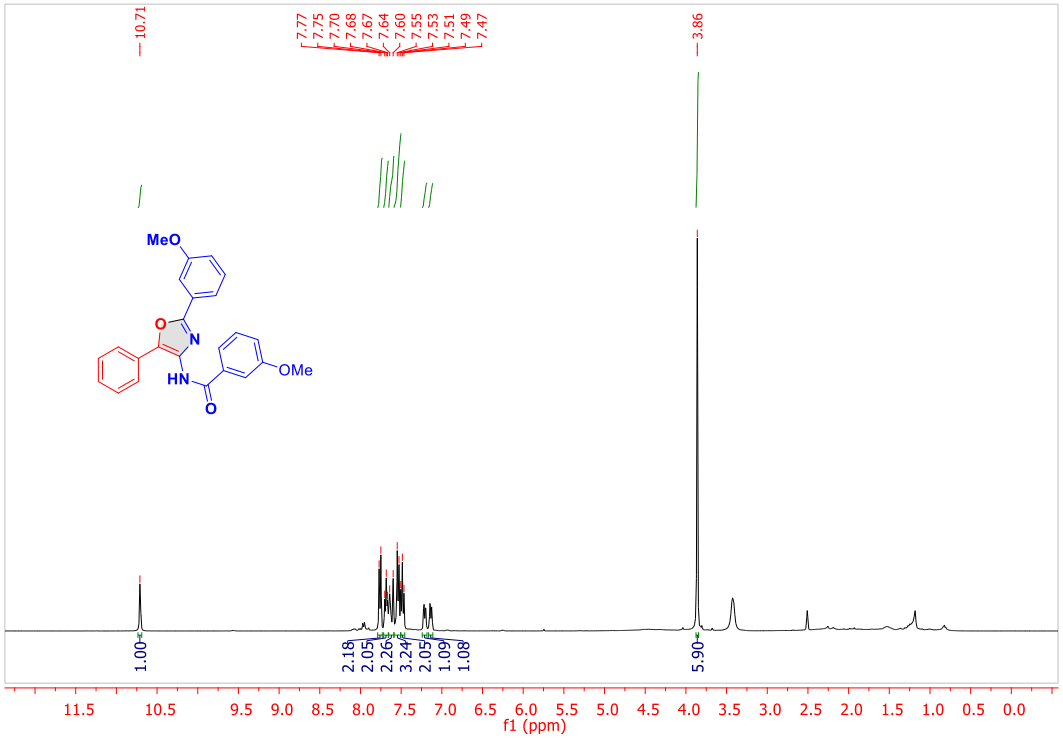
^{1}H NMR Of 3d in DMSO-d$_6$



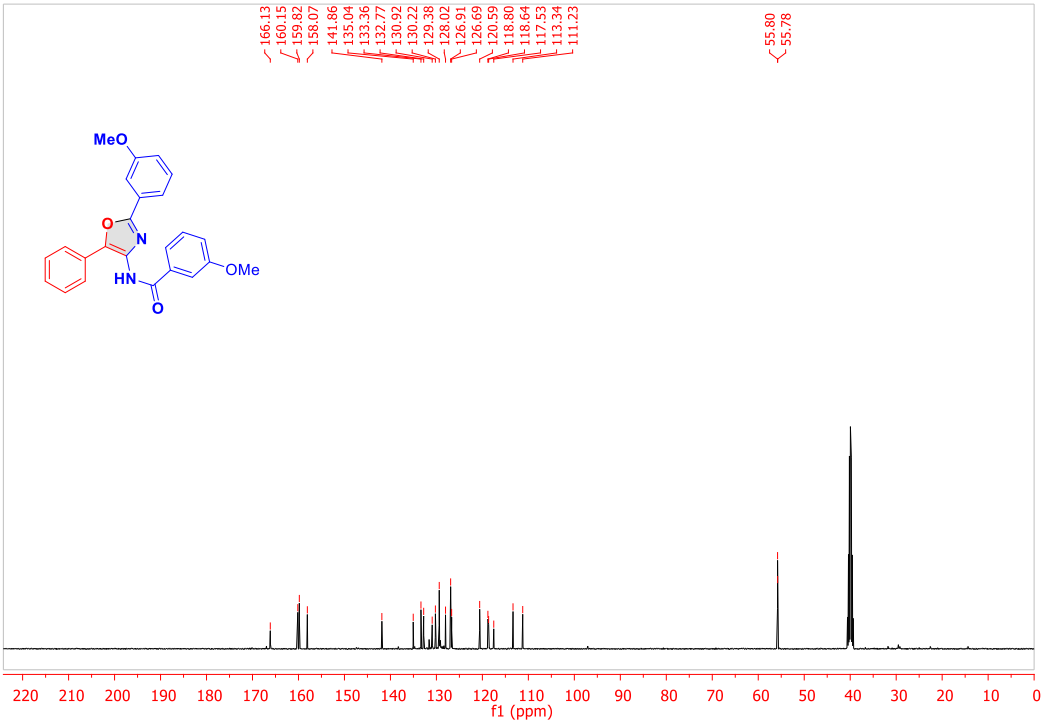
^{13}C{^{1}H} NMR of 3d in DMSO-d$_6$



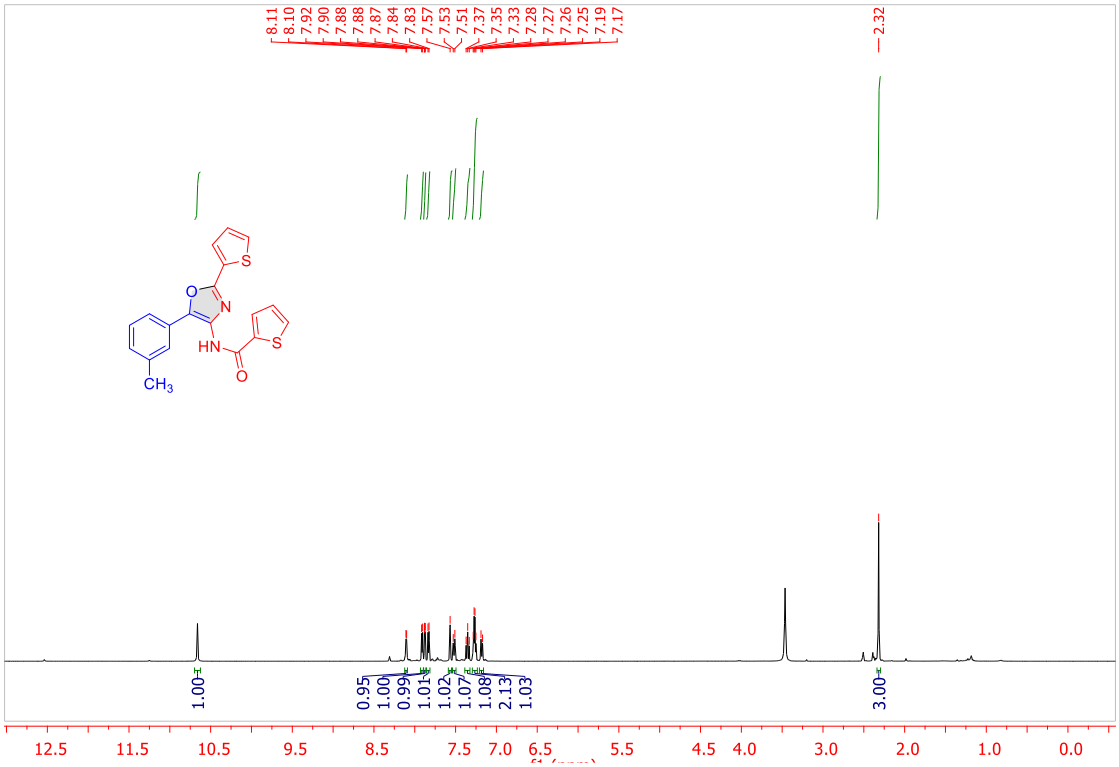
^{1}H NMR Of 3e in DMSO-d_6



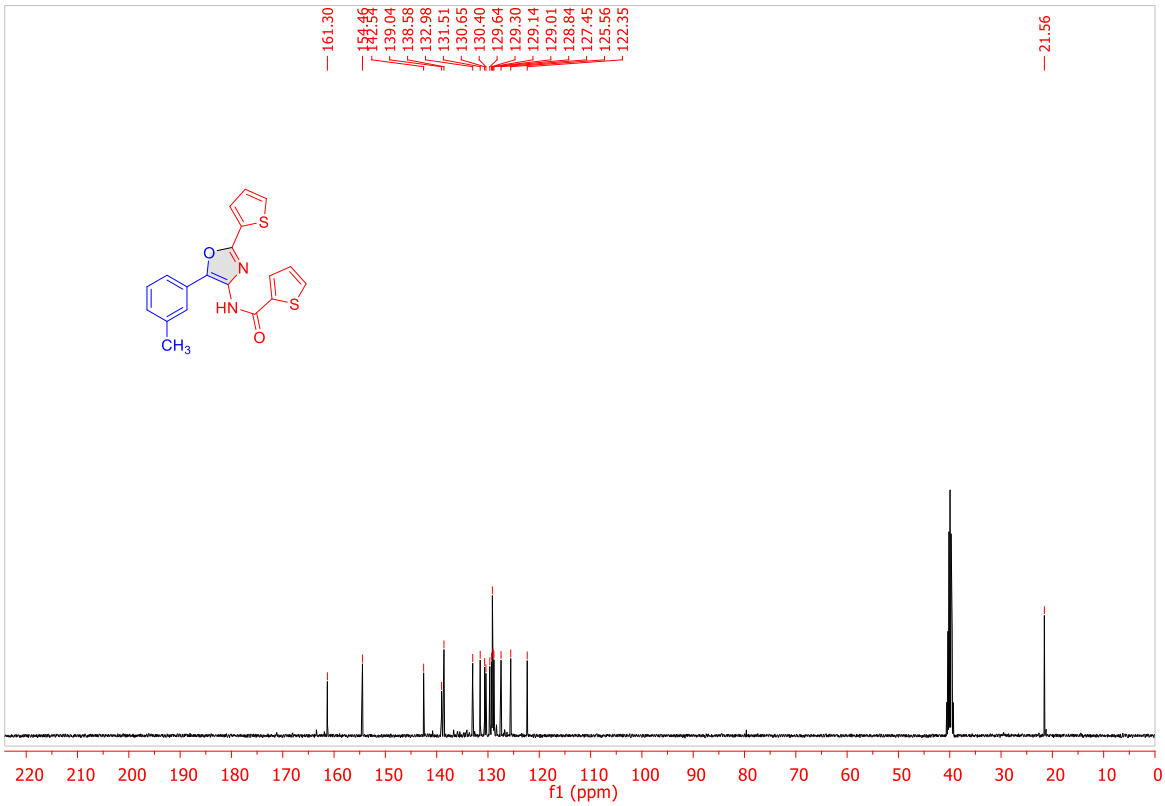
^{13}C{^{1}H} NMR of 3e in DMSO-d_6



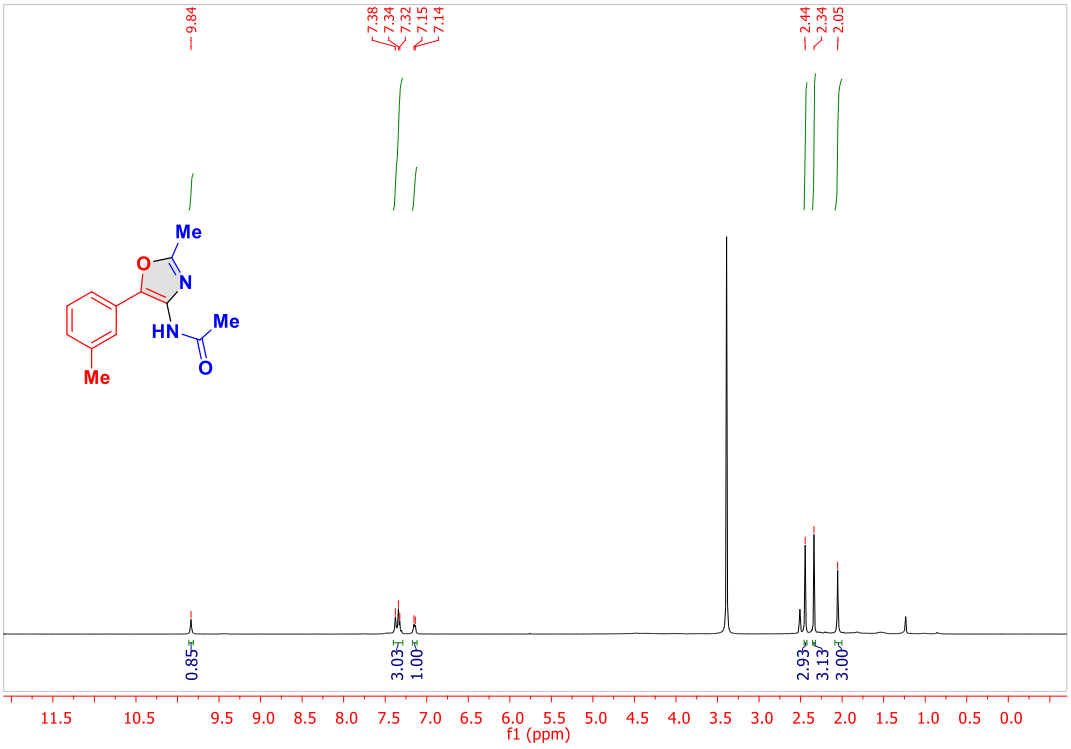
^{1}H NMR Of 3f in DMSO-d$_6$



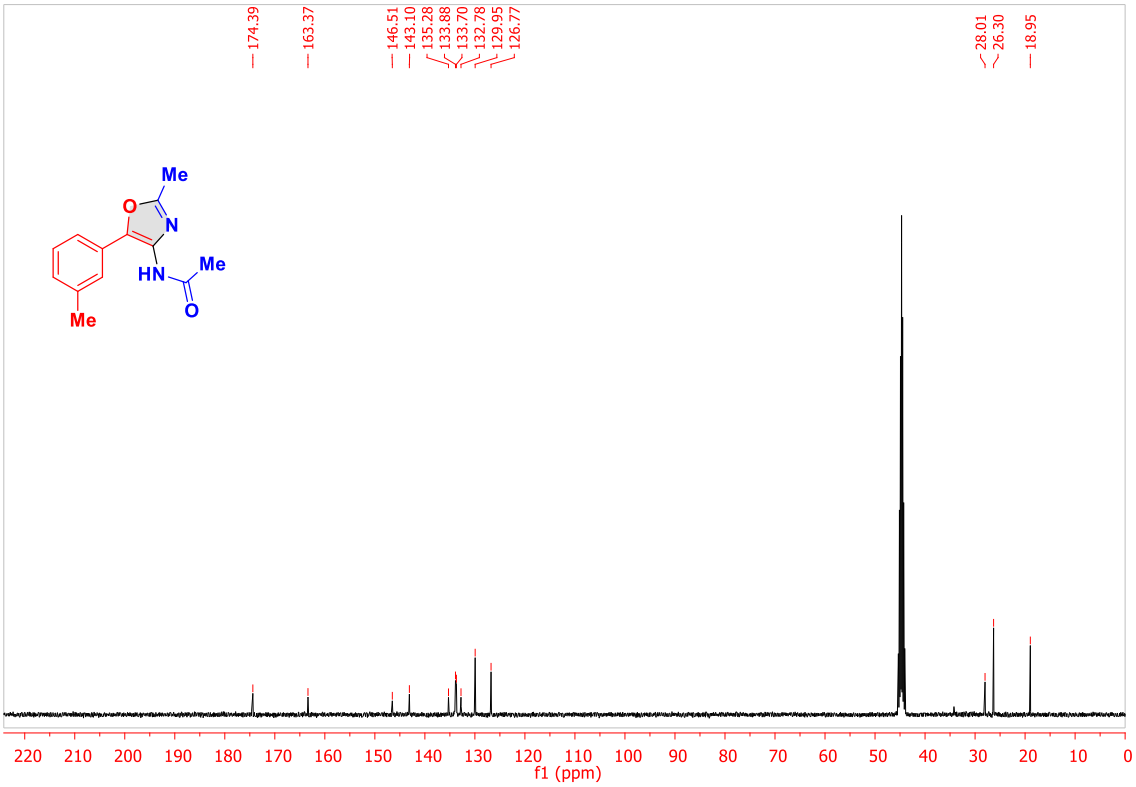
^{13}C{^{1}H} NMR of 3f in DMSO-d$_6$



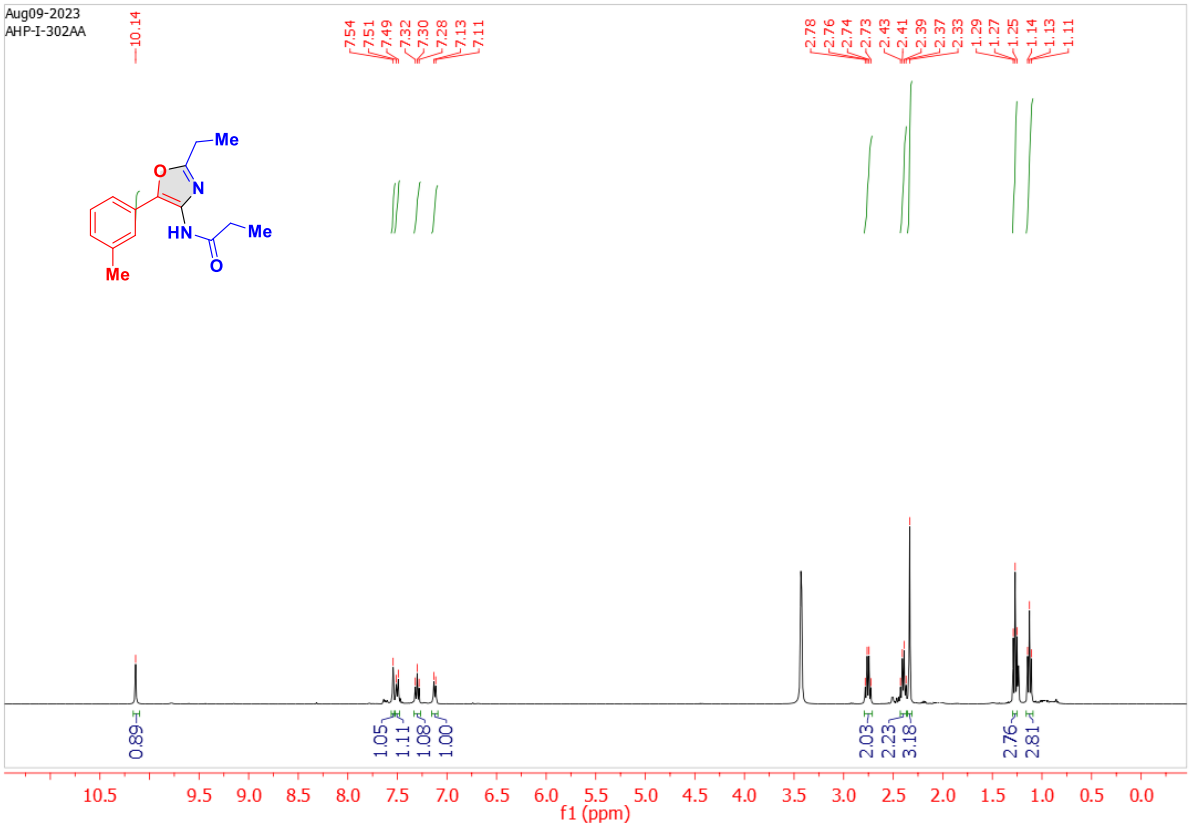
^{1}H NMR Of 3g in DMSO-d$_6$



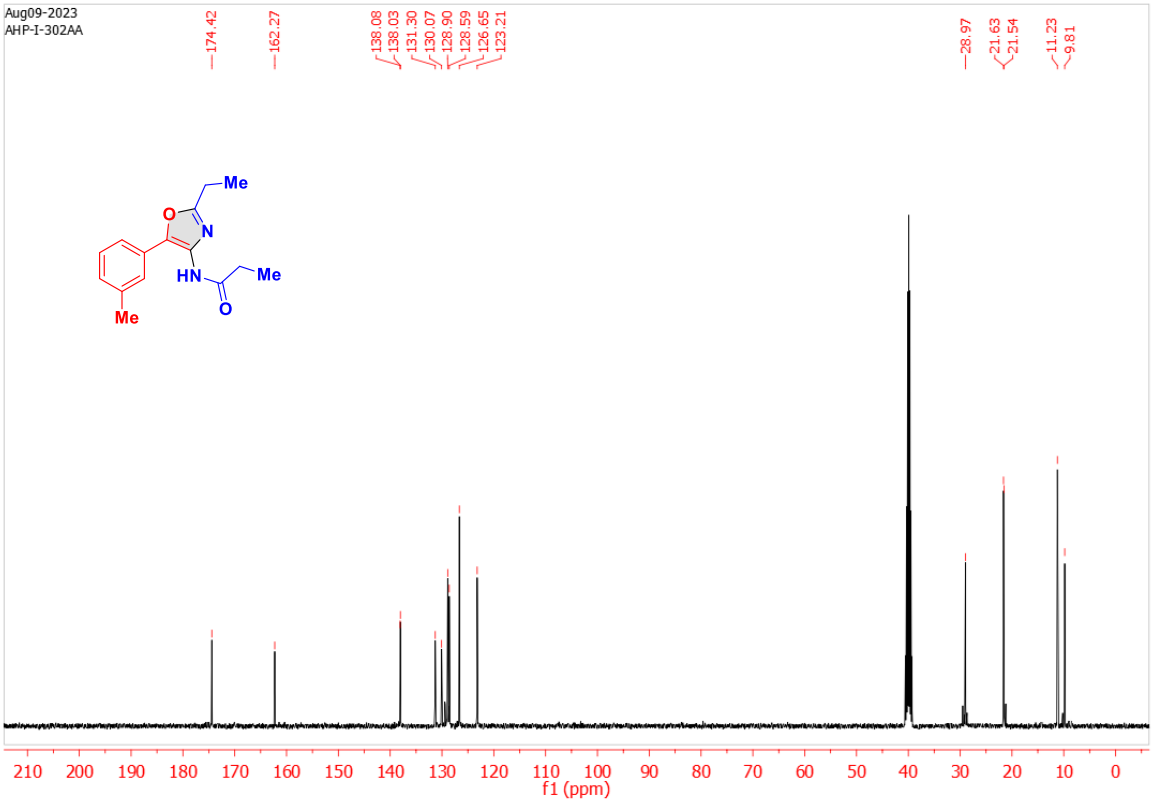
^{13}C{^{1}H} NMR of 3g in DMSO-d$_6$



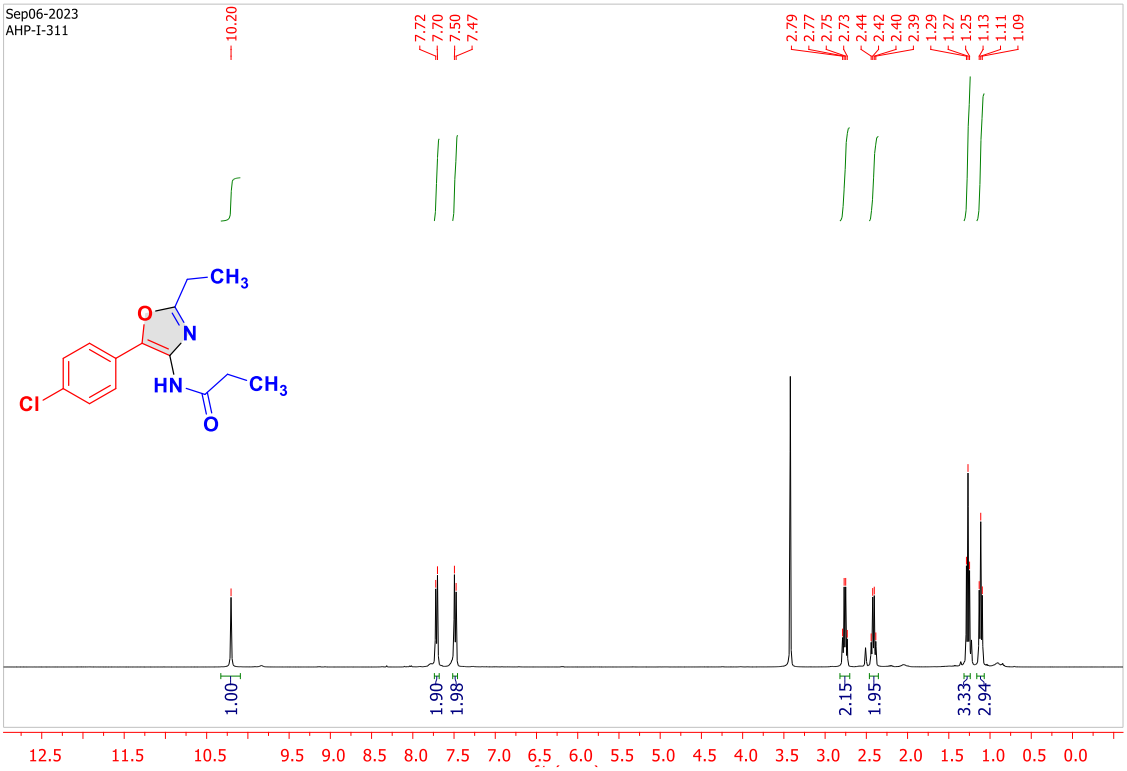
^{1}H NMR Of 3h in DMSO-d_6



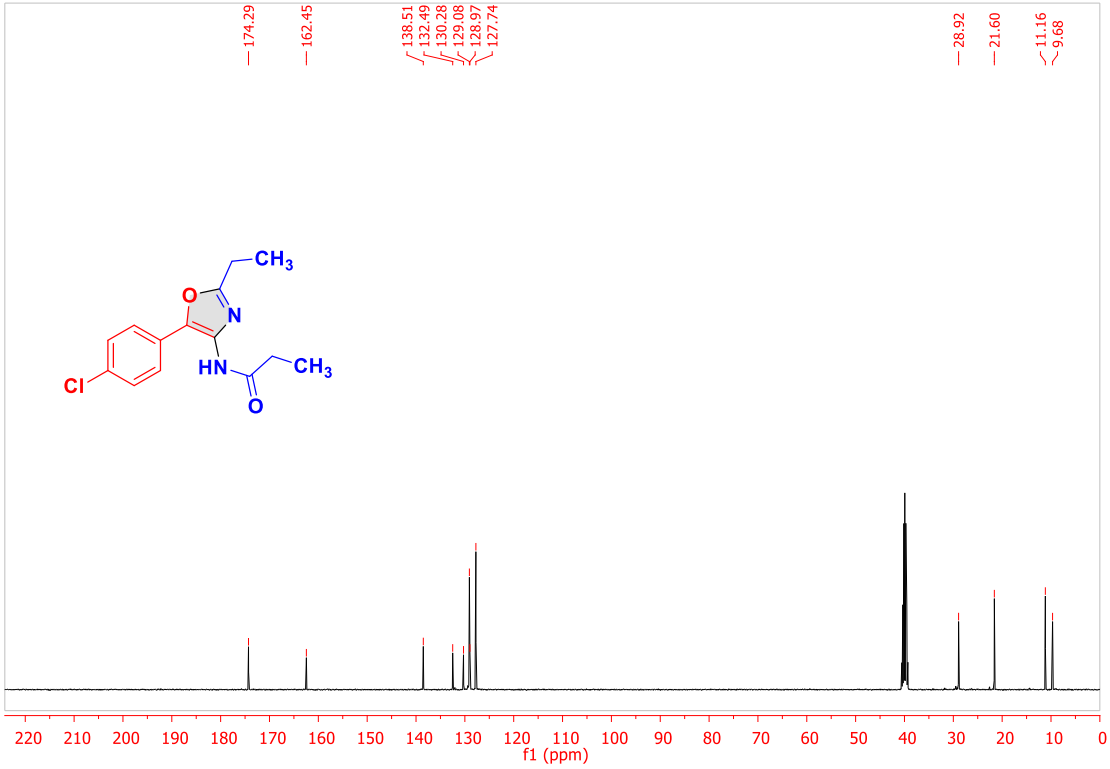
^{13}C{^{1}H} NMR of 3h in DMSO- d_6



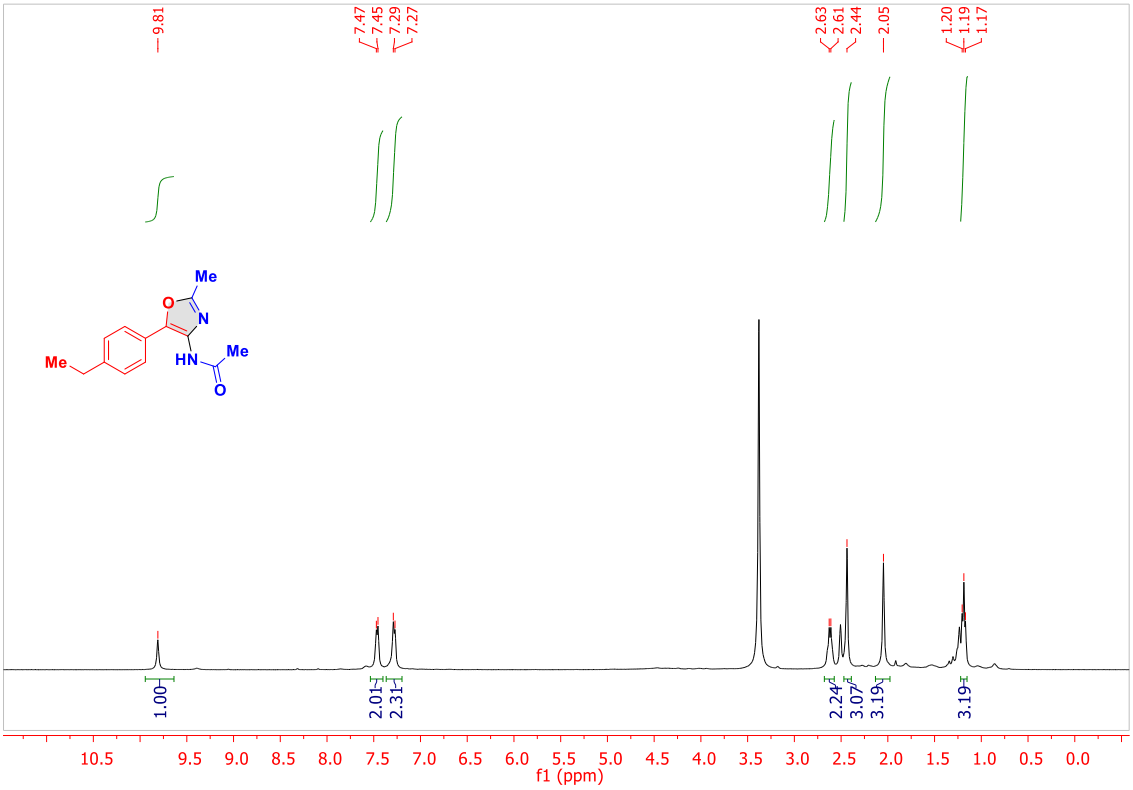
^{1}H NMR Of 3i in DMSO-d$_6$



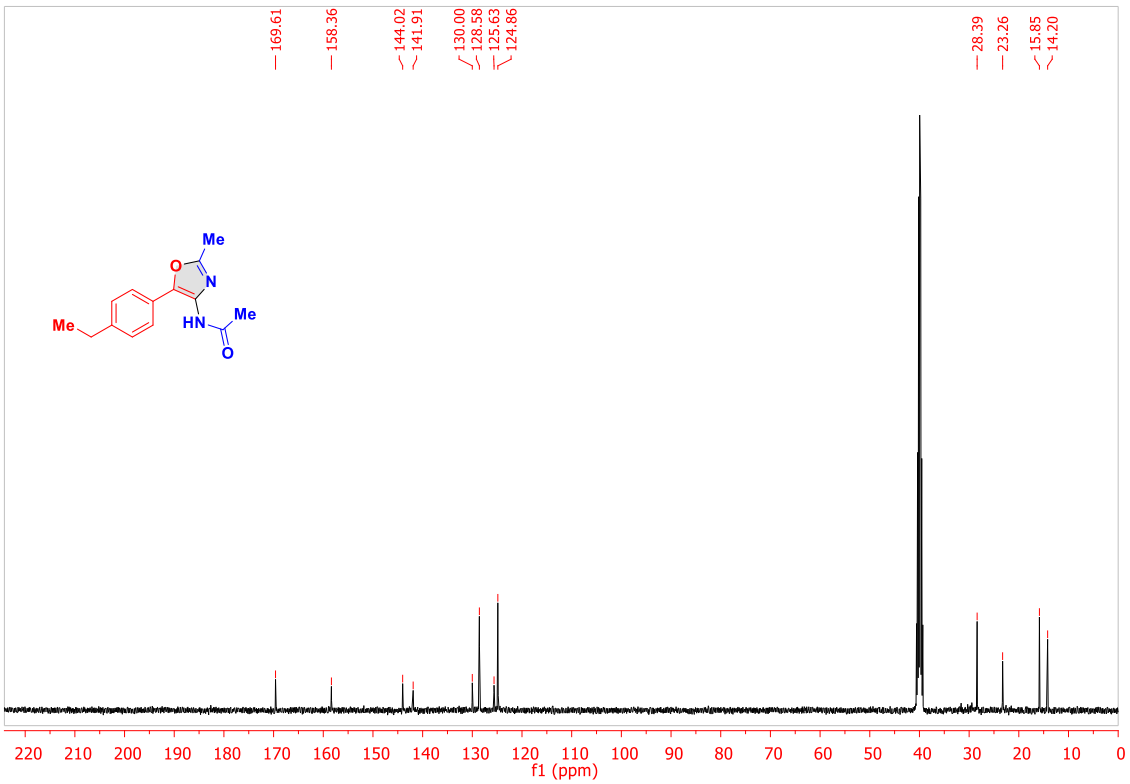
^{13}C{^{1}H} NMR of 3i in DMSO-d$_6$



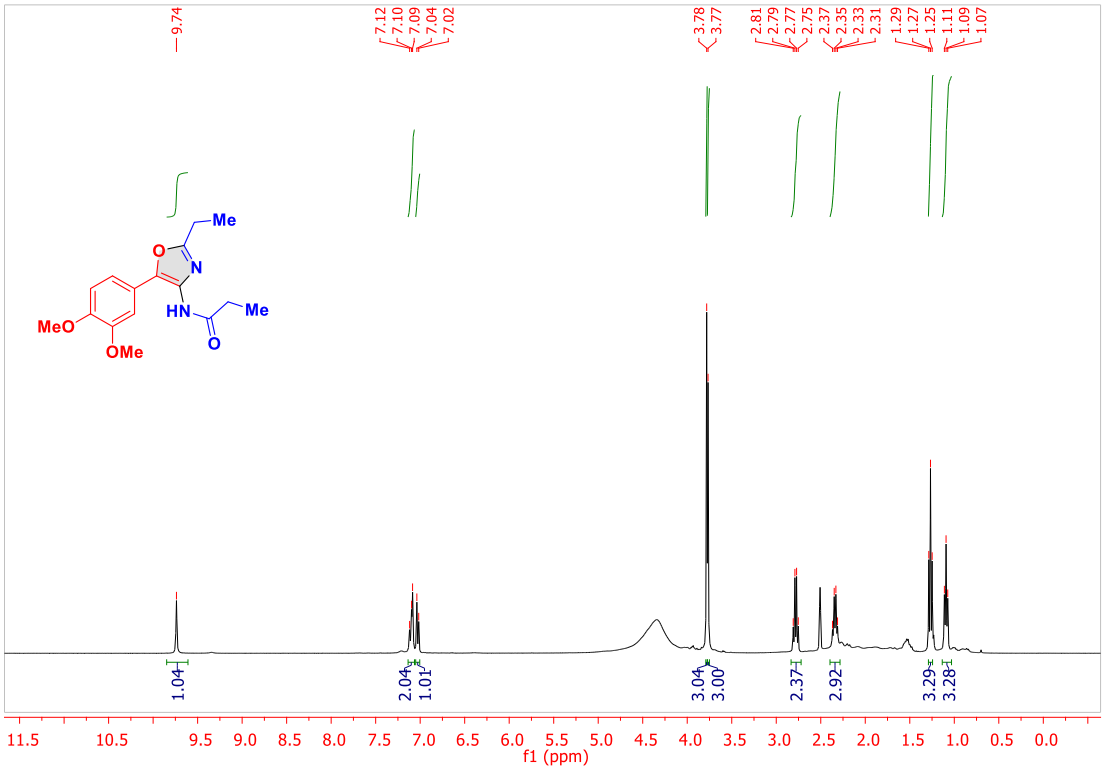
^{1}H NMR Of 3j in DMSO-d$_6$



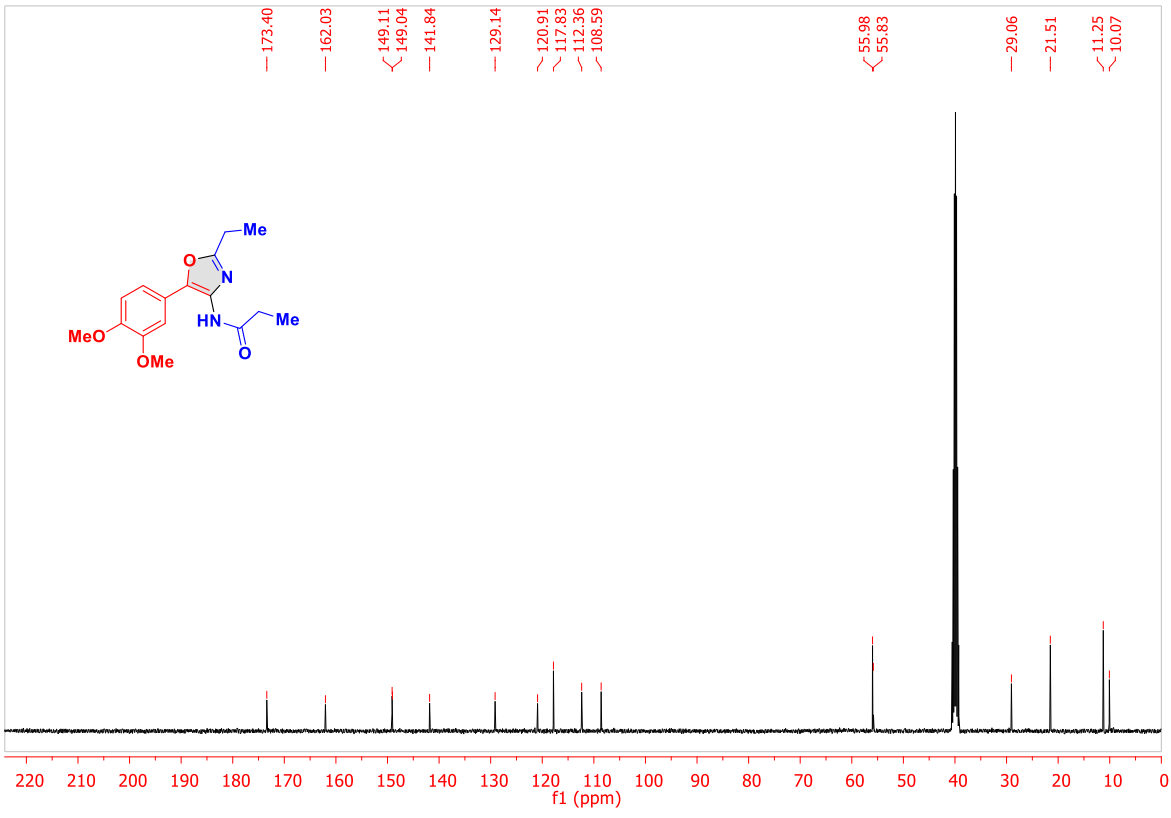
^{13}C{^{1}H} NMR of 3j in DMSO-d$_6$



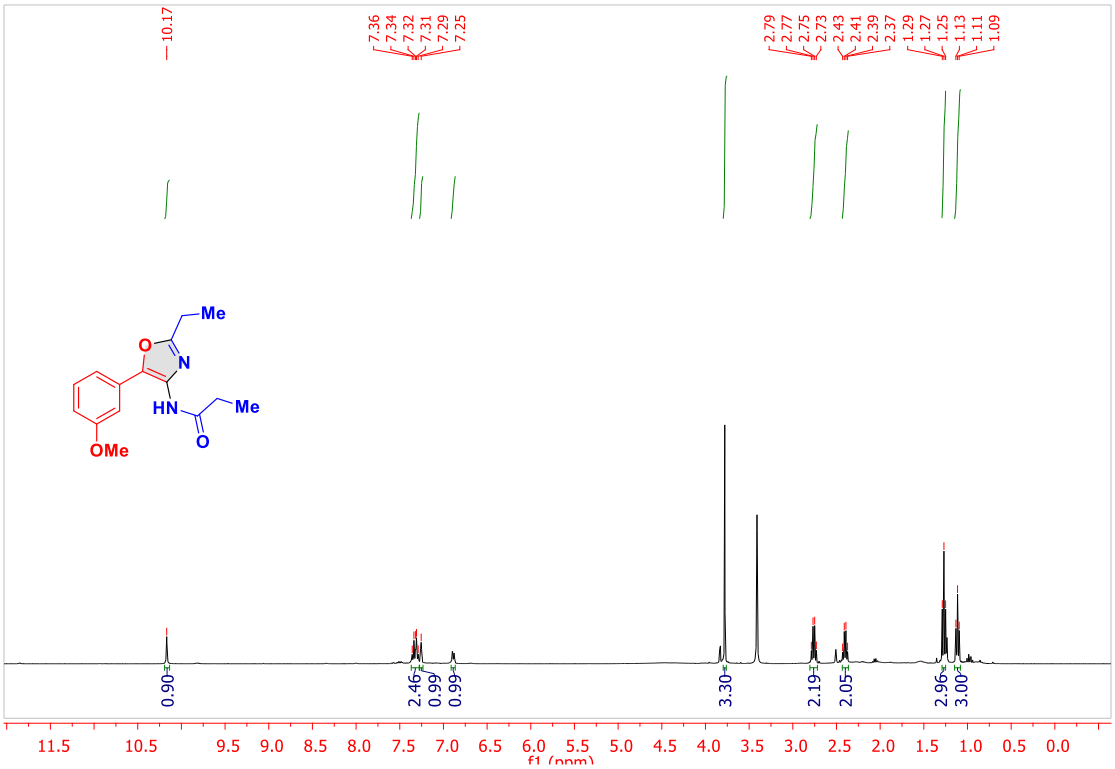
^{1}H NMR Of 3k in DMSO- d_6



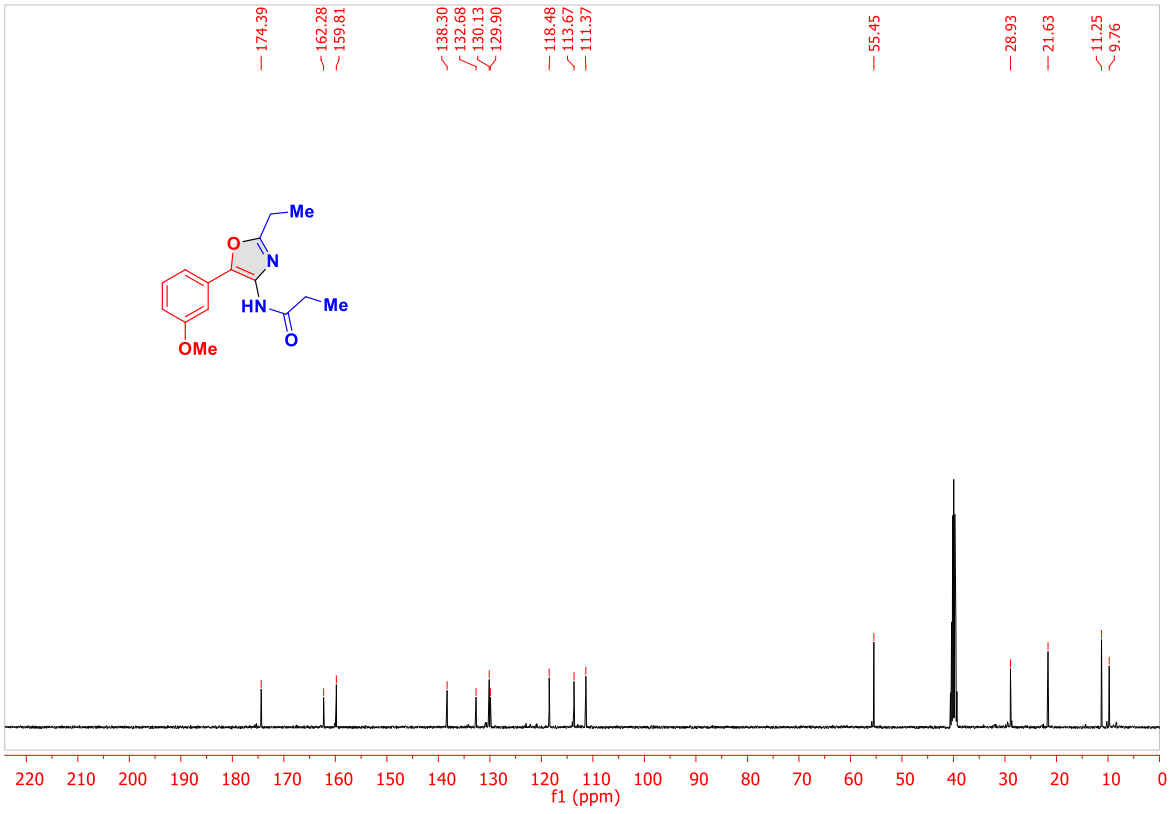
^{13}C{^{1}H} NMR of 3k in DMSO-d_6



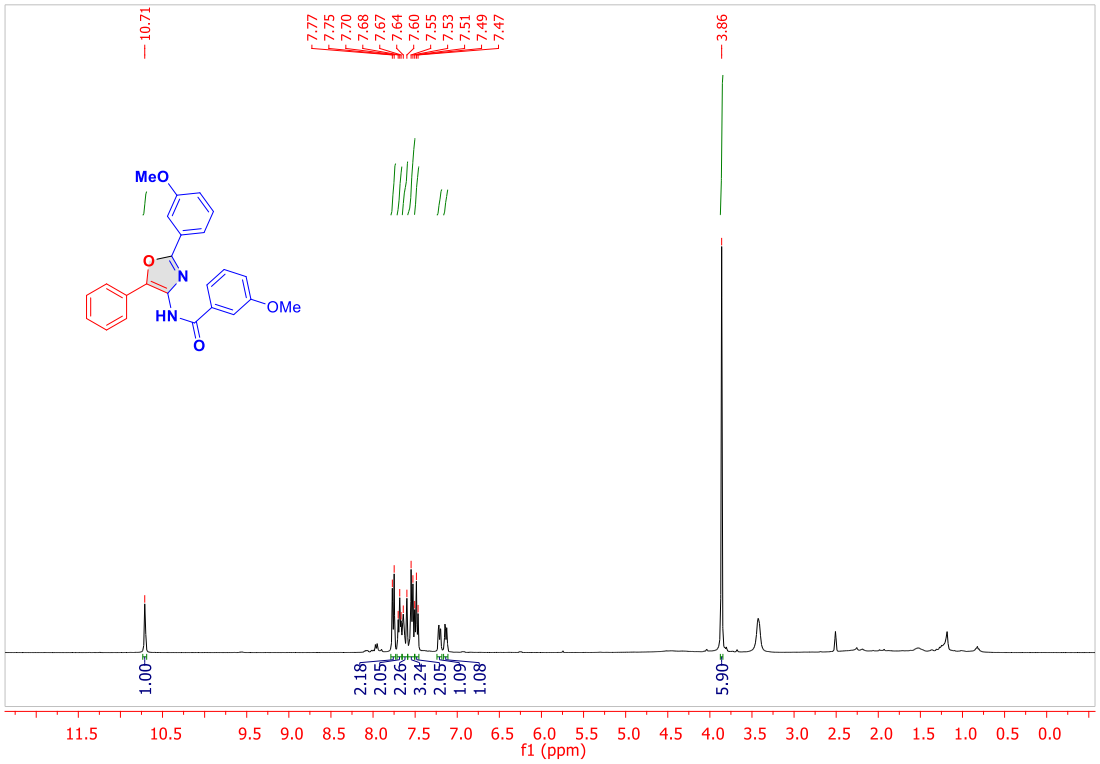
^{1}H NMR Of 3l in DMSO- d_6



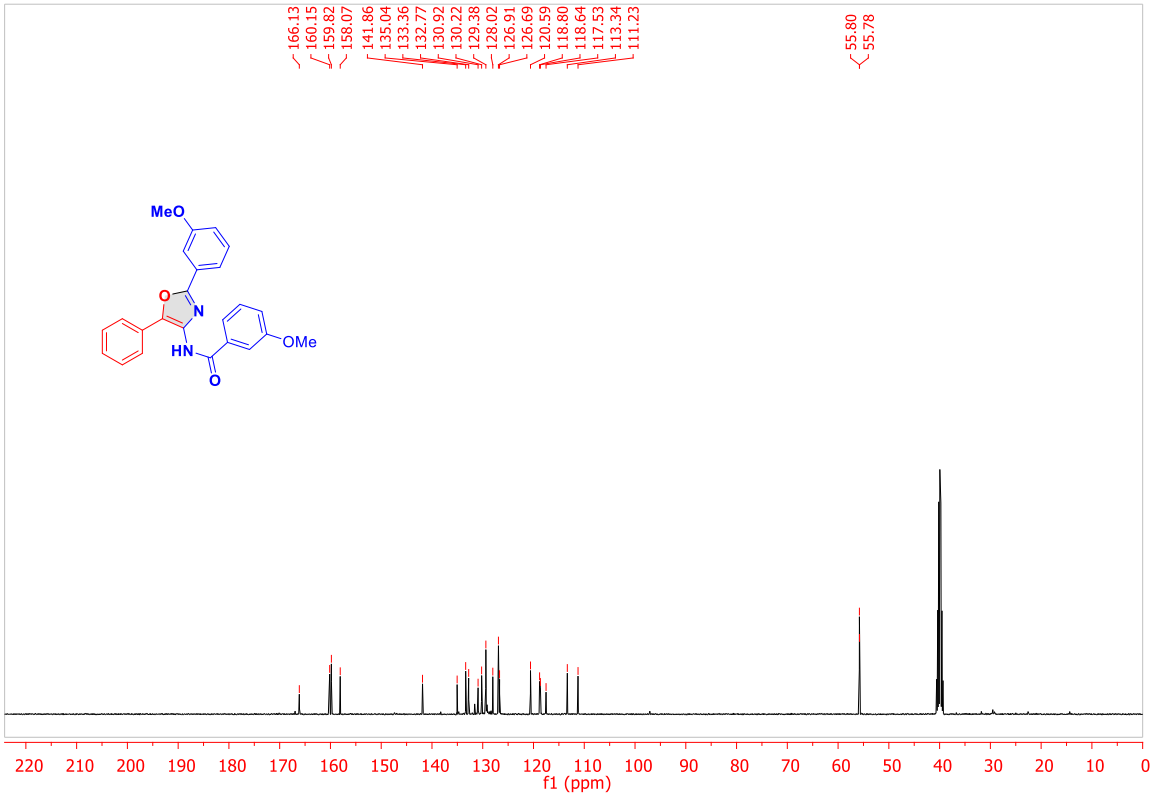
^{13}C{^{1}H} NMR of 3l in DMSO-d_6



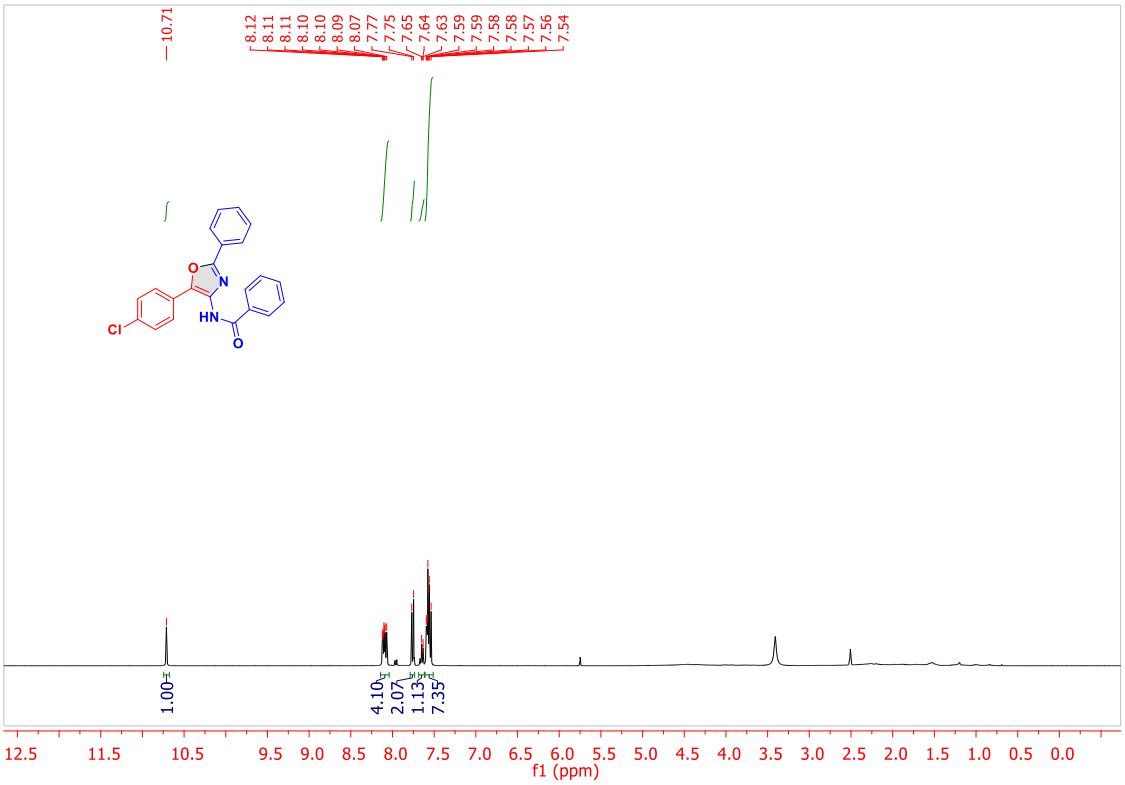
^{1}H NMR Of 3m in DMSO- d_6



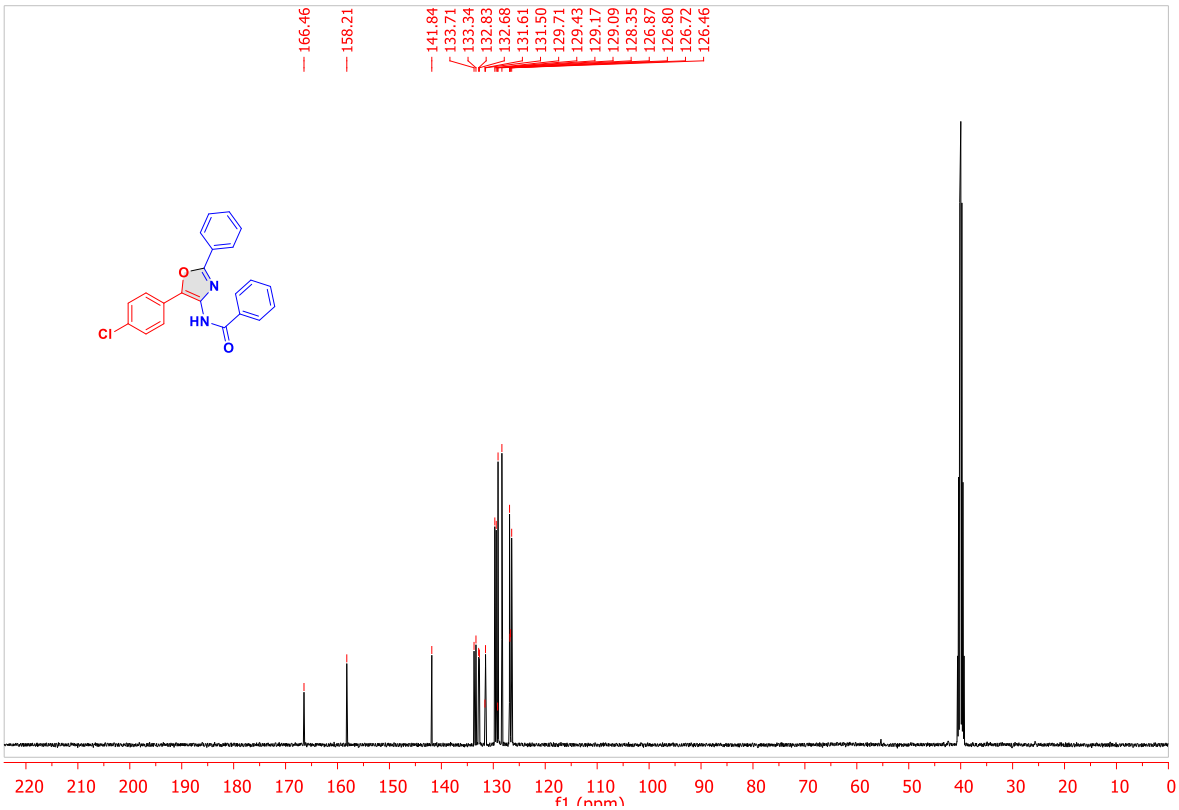
^{13}C{^{1}H} NMR of 3m in DMSO-d_6



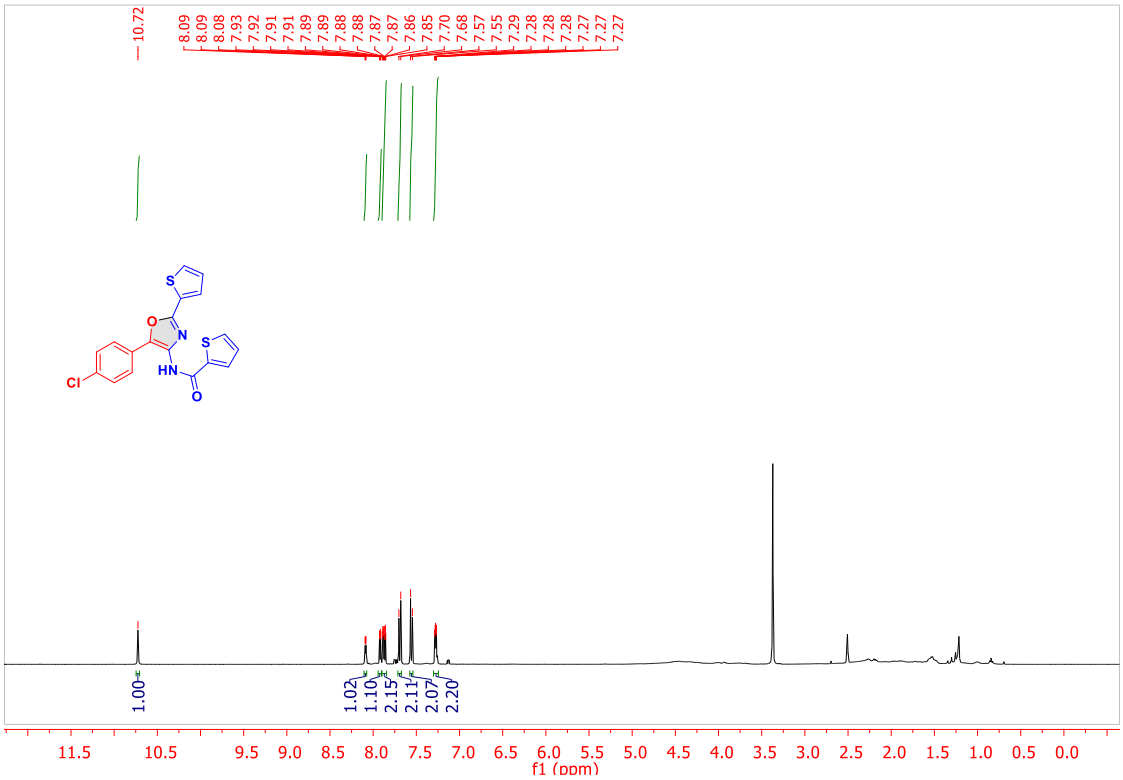
^{1}H NMR Of 3n in DMSO-d$_6$



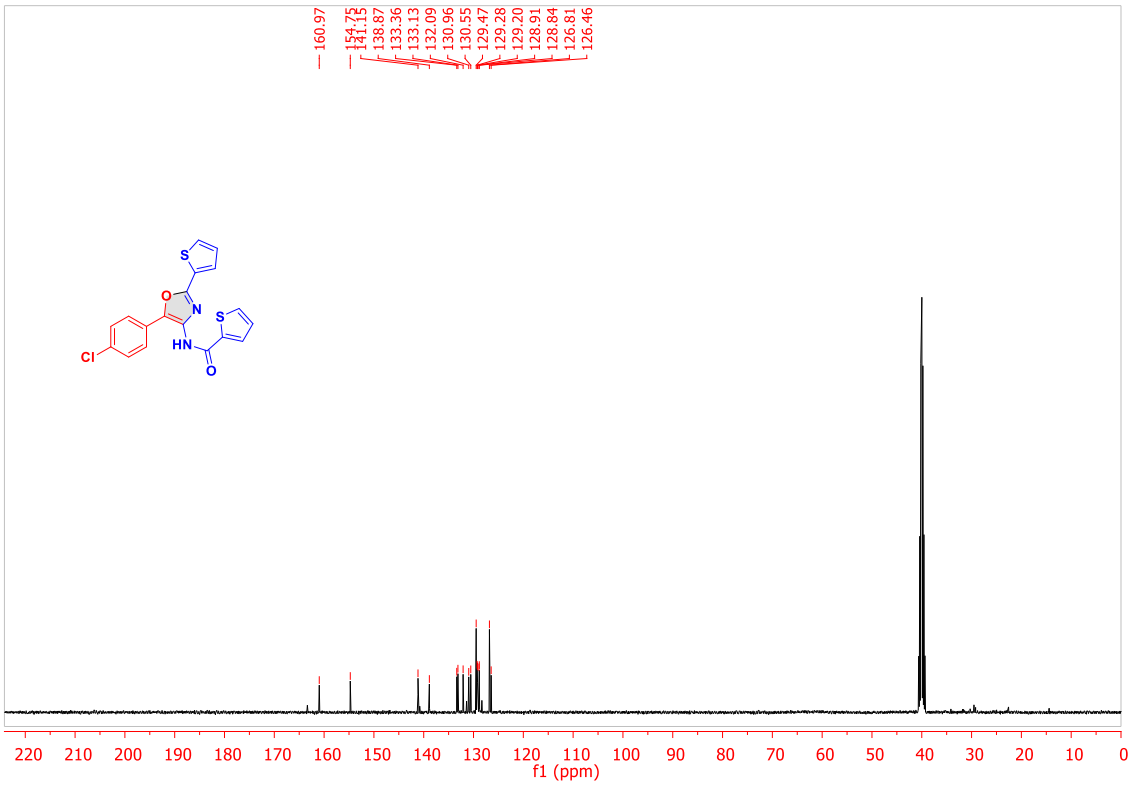
^{13}C{^{1}H} NMR of 3n in DMSO-d$_6$



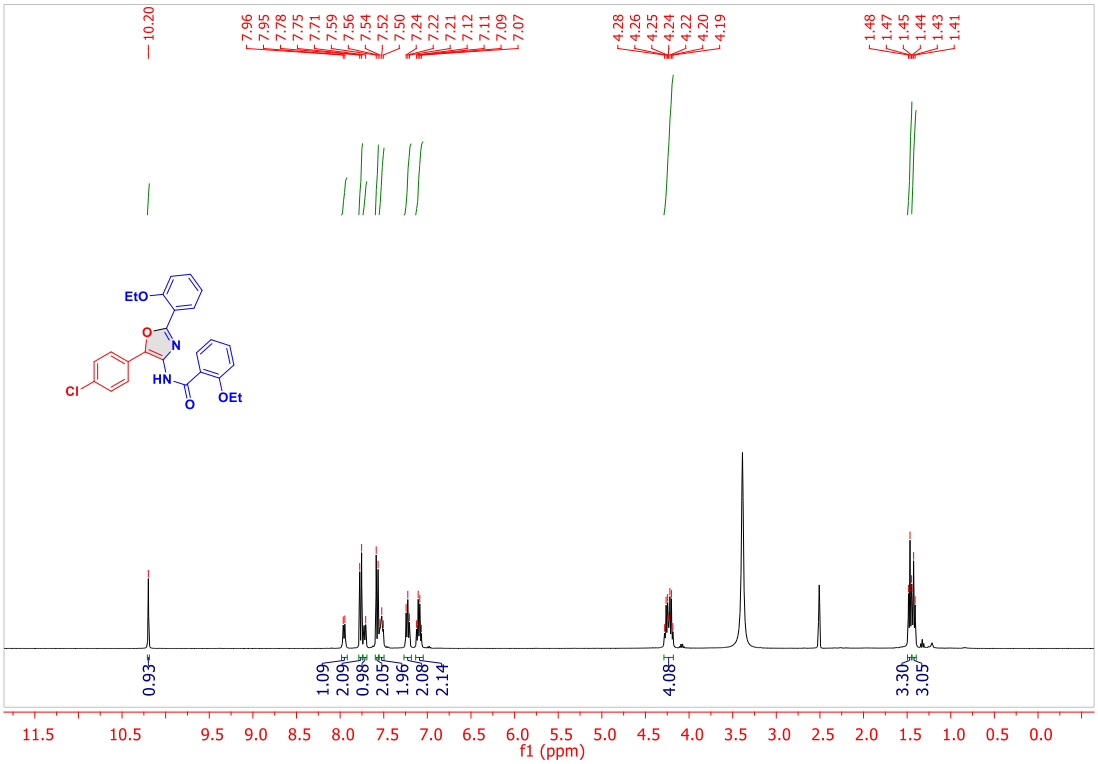
^{1}H NMR Of 3o in DMSO- d_6



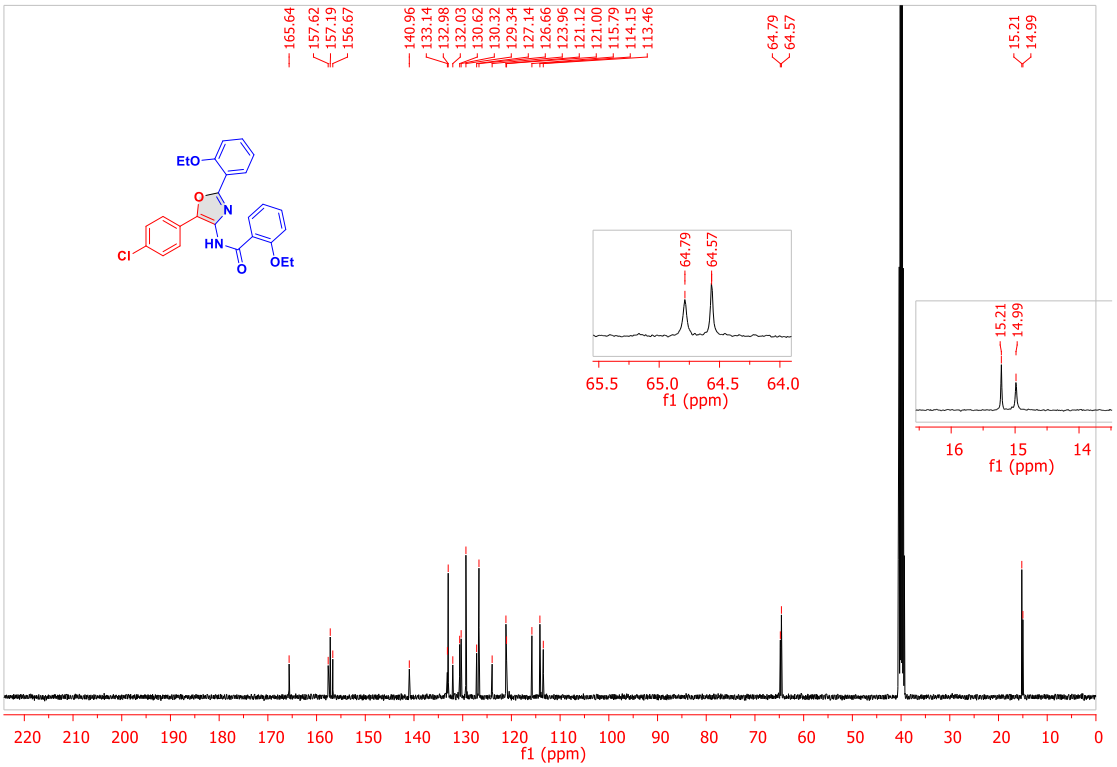
^{13}C{^{1}H} NMR of 3o in DMSO- d_6



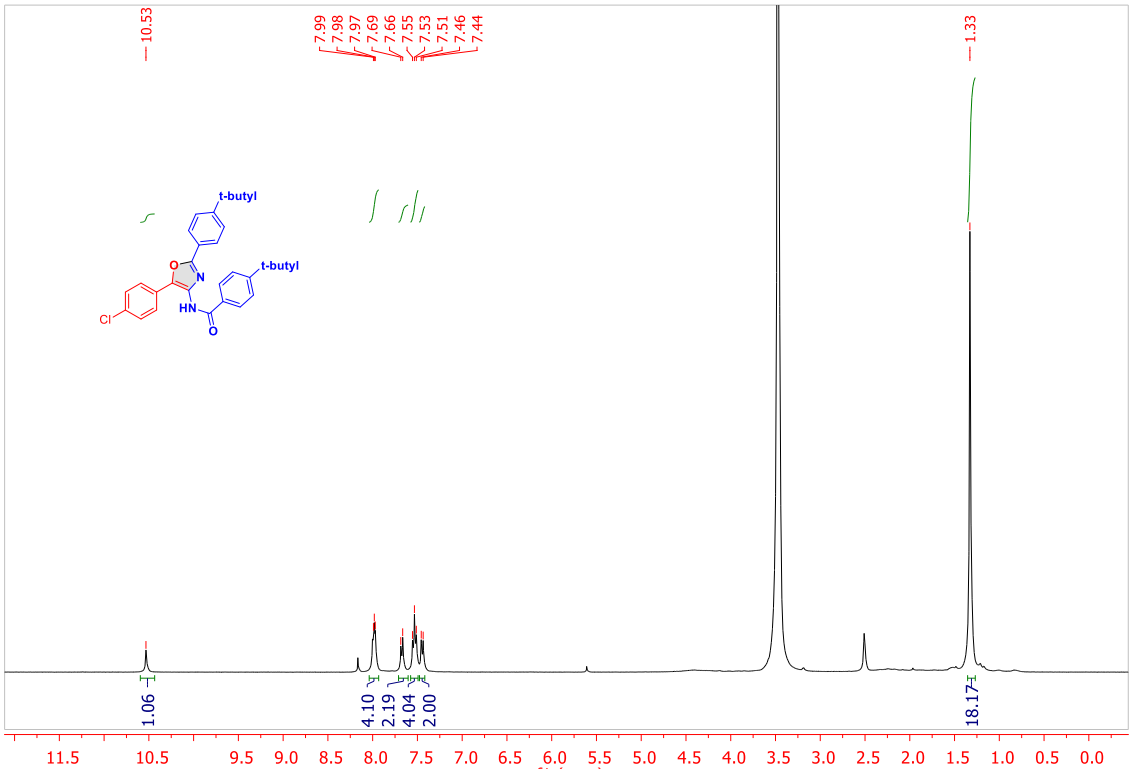
^{1}H NMR Of 3p in DMSO-d$_6$



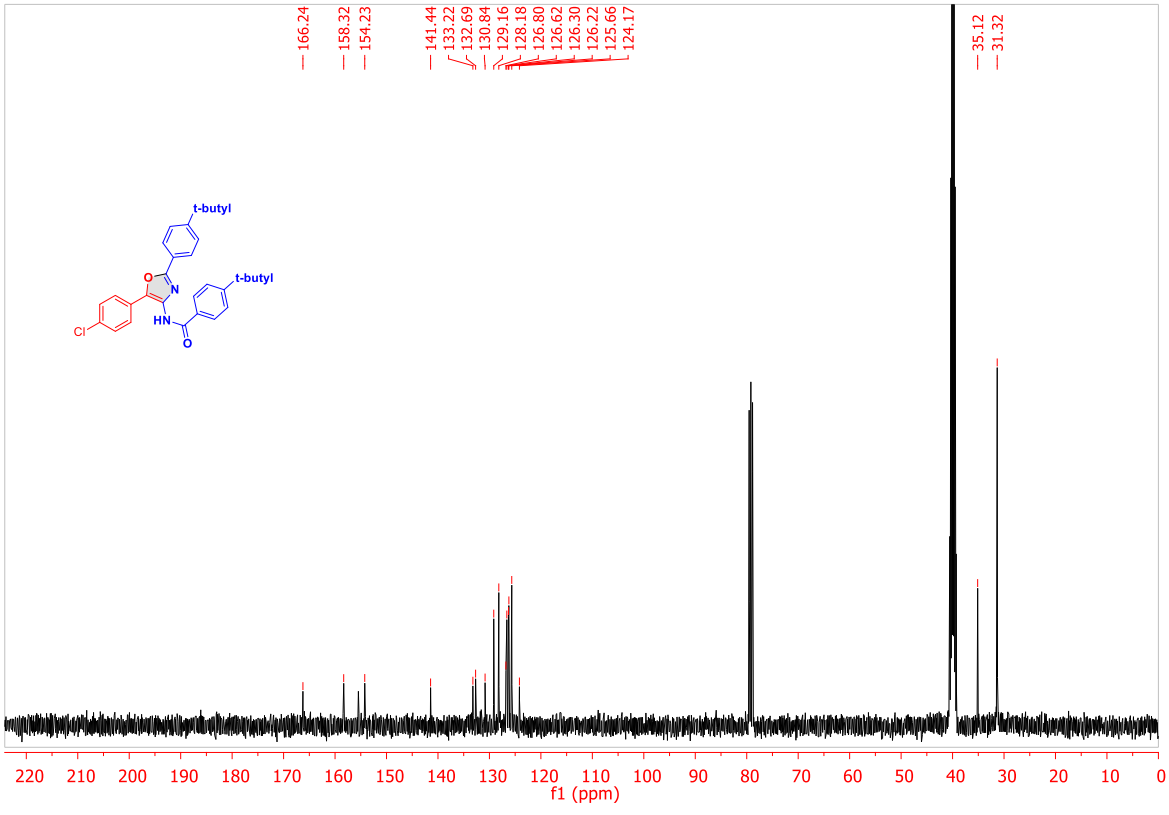
^{13}C{^{1}H} NMR of 3p in DMSO-d$_6$



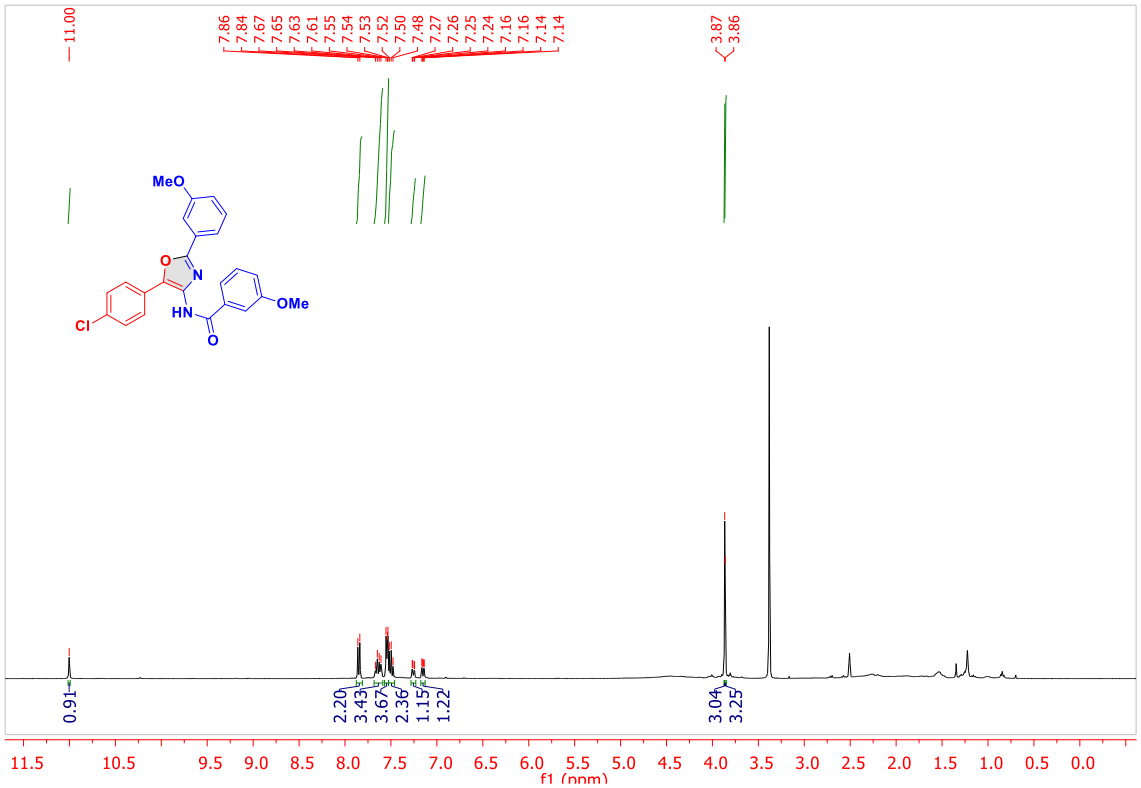
^{1}H NMR Of 3q in $CDCl_3$



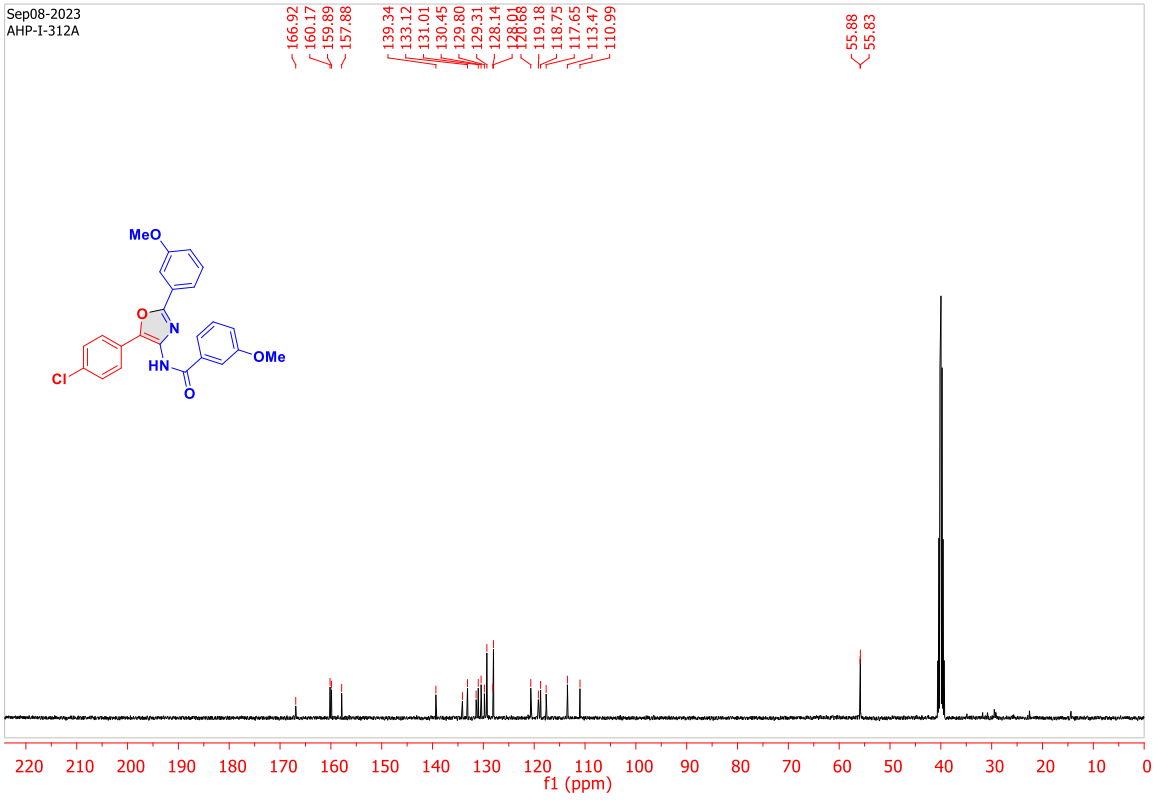
^{13}C{^{1}H} NMR of 3q in $CDCl_3$



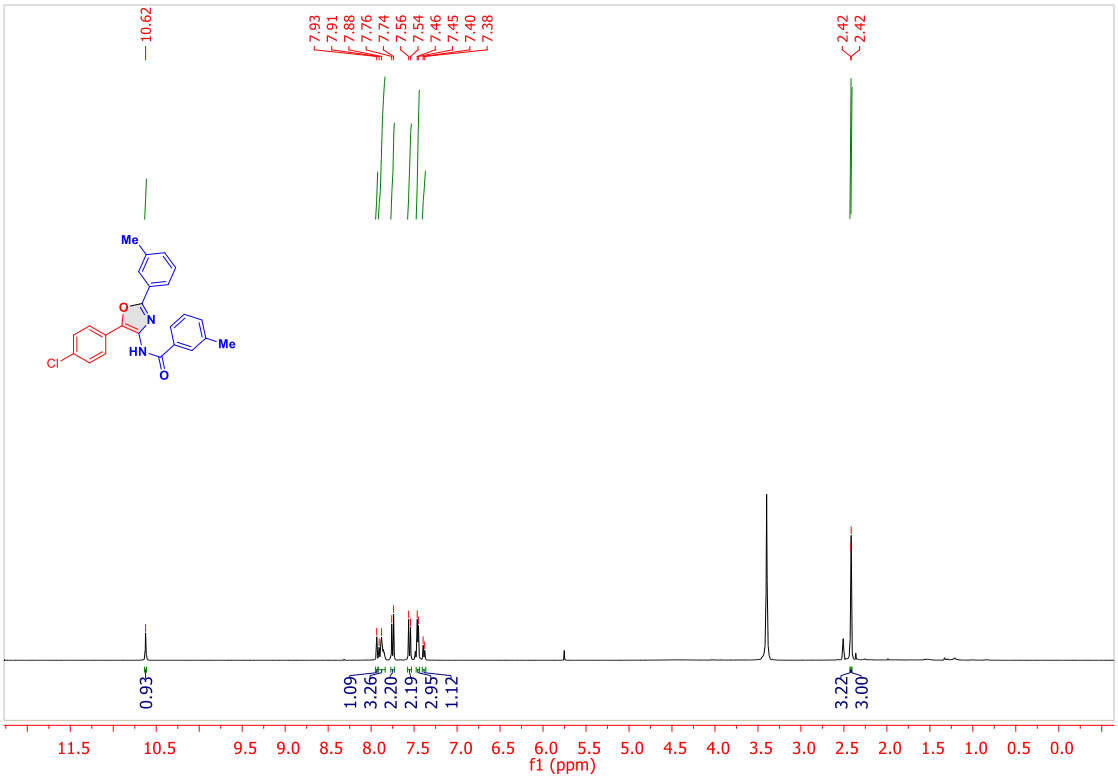
^{1}H NMR Of 3r in DMSO- d_6



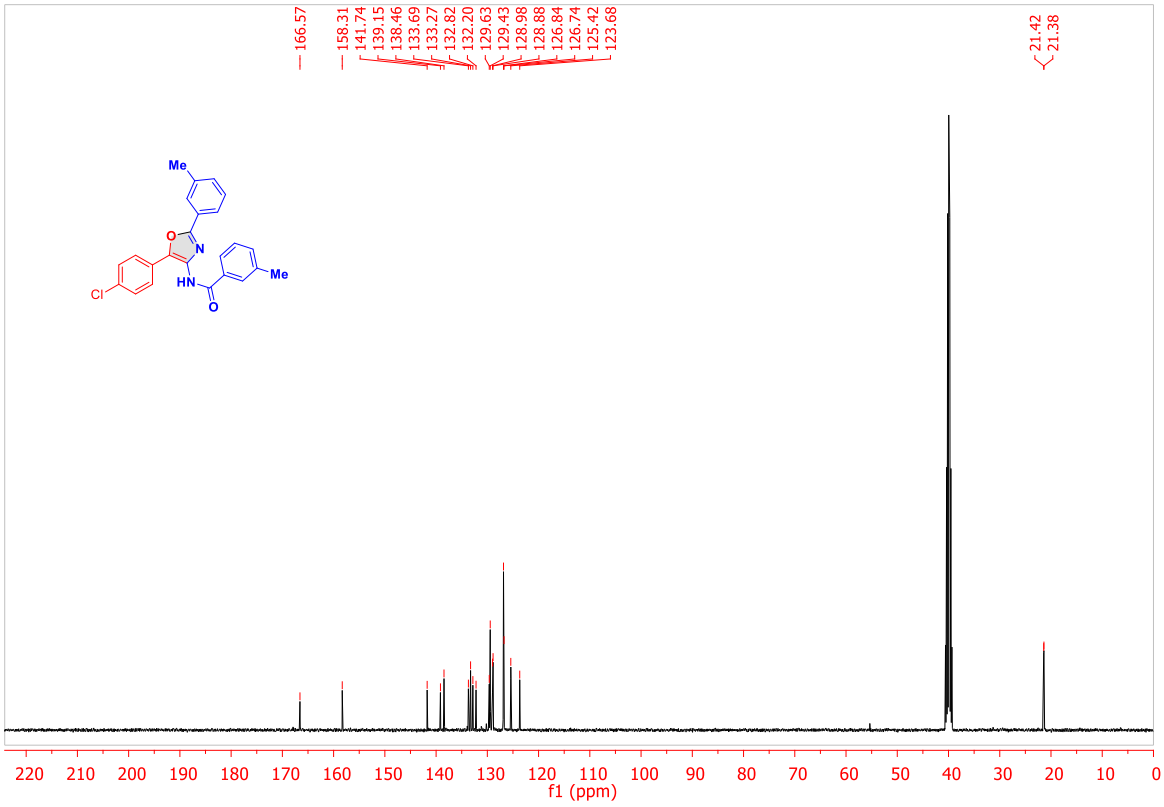
^{13}C{^{1}H} NMR of 3r in DMSO-d_6



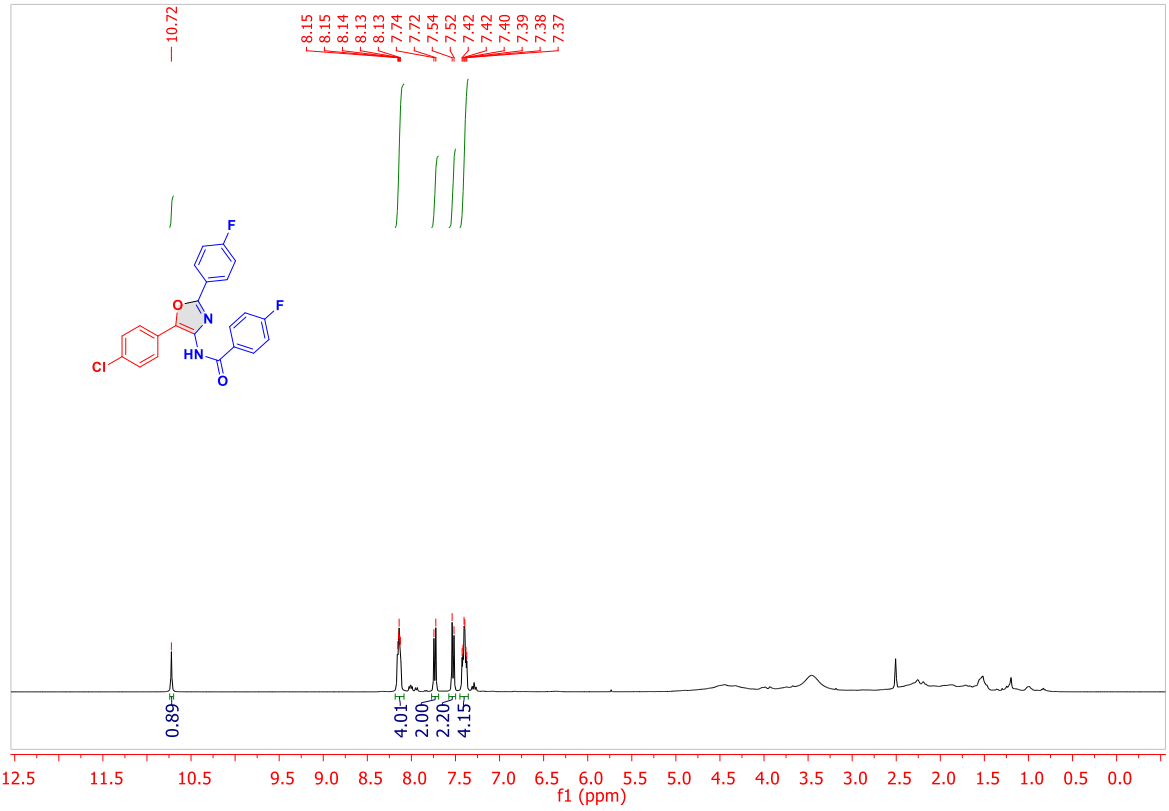
^{1}H NMR Of 3s in DMSO- d_6



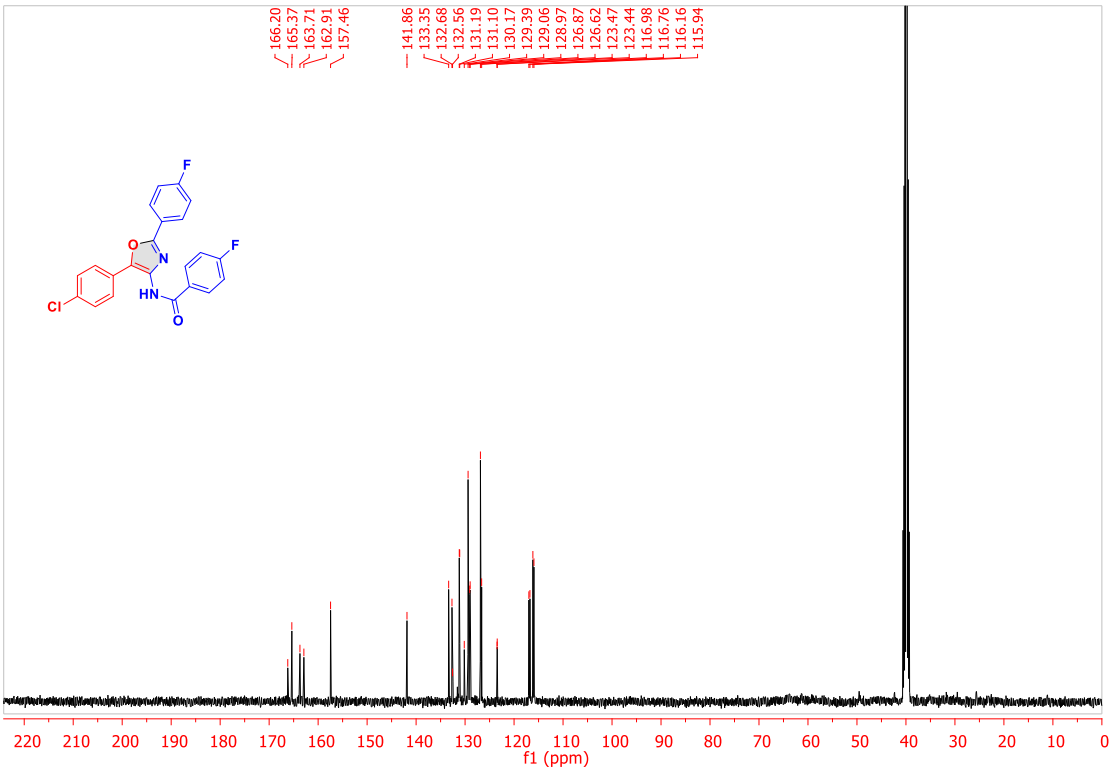
^{13}C{^{1}H} NMR of 3s in DMSO- d_6



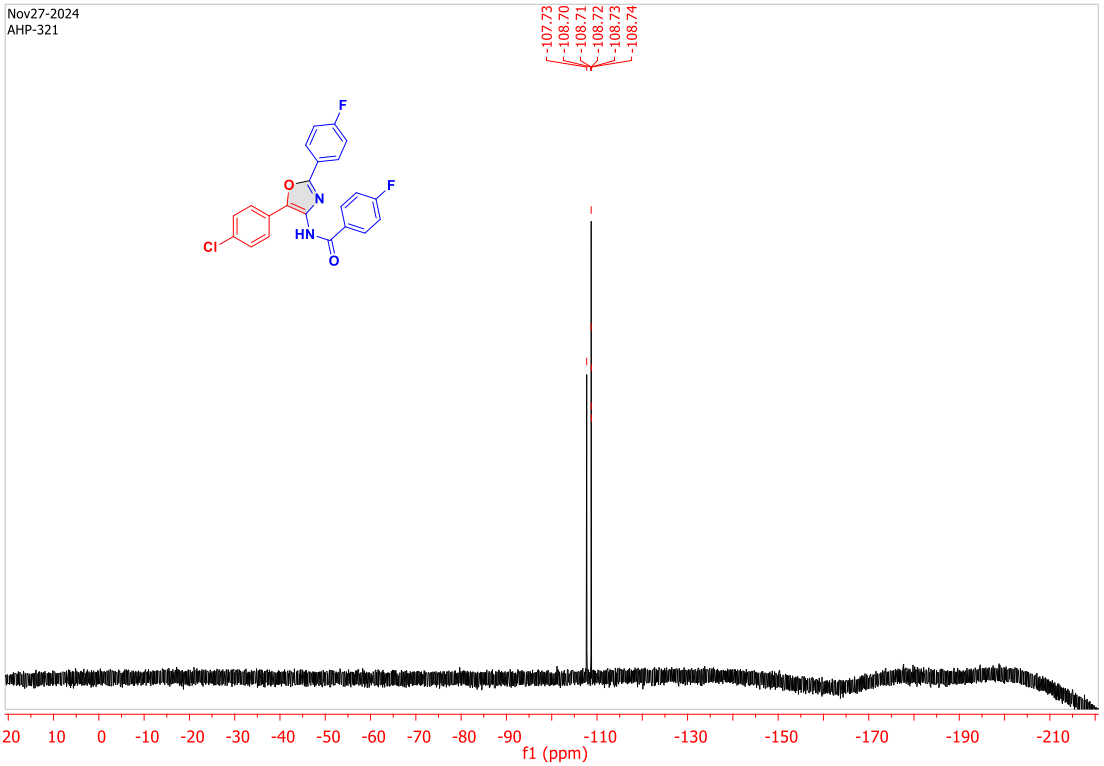
^{1}H NMR Of 3t in DMSO-d$_6$



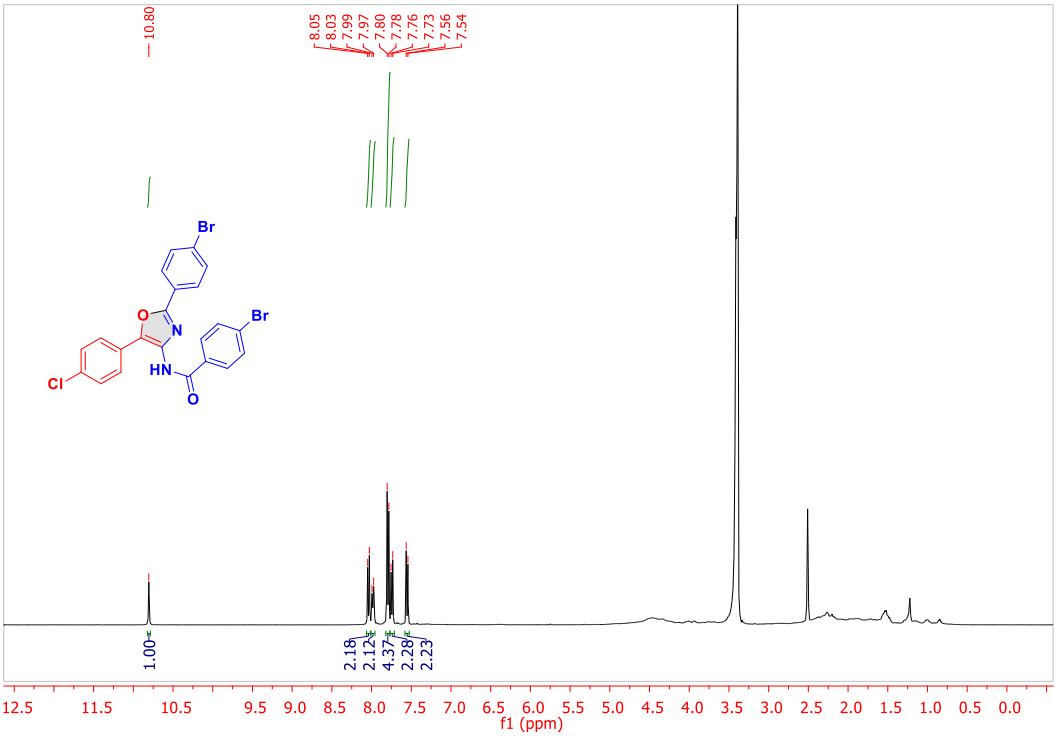
^{13}C{^{1}H} NMR of 3t in DMSO-d$_6$



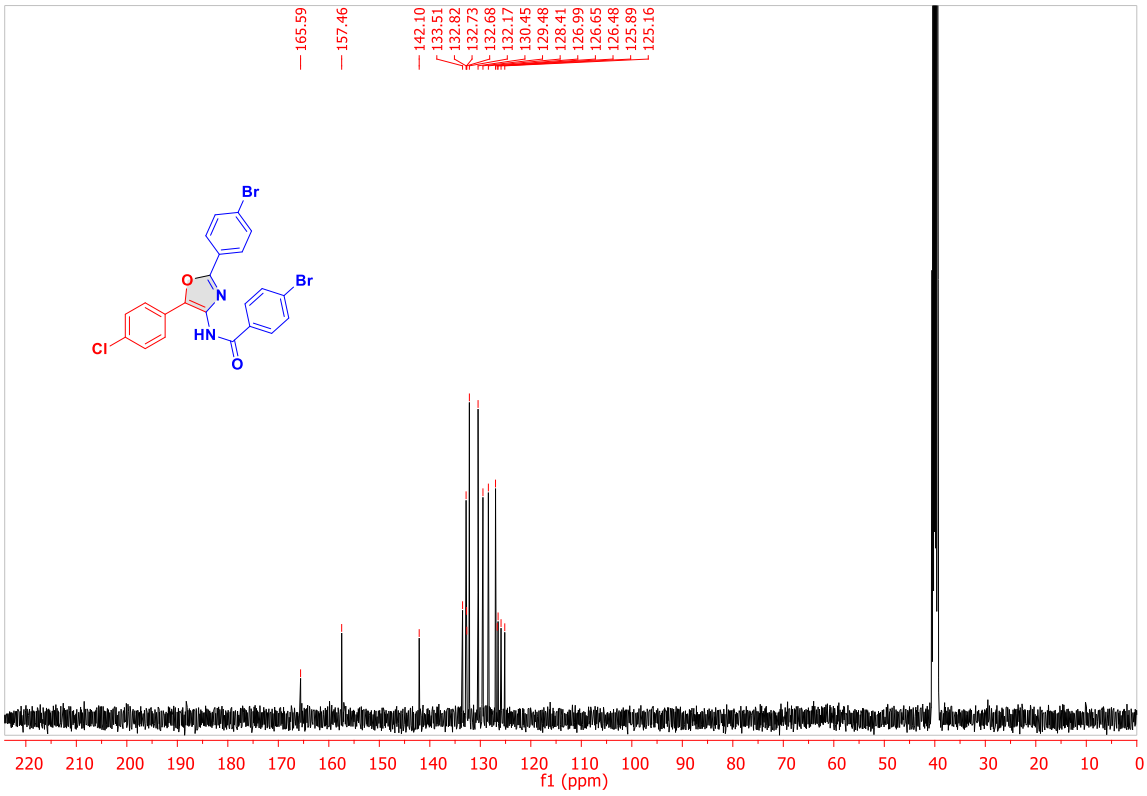
^{19}F NMR of 3t in $CDCl_3$



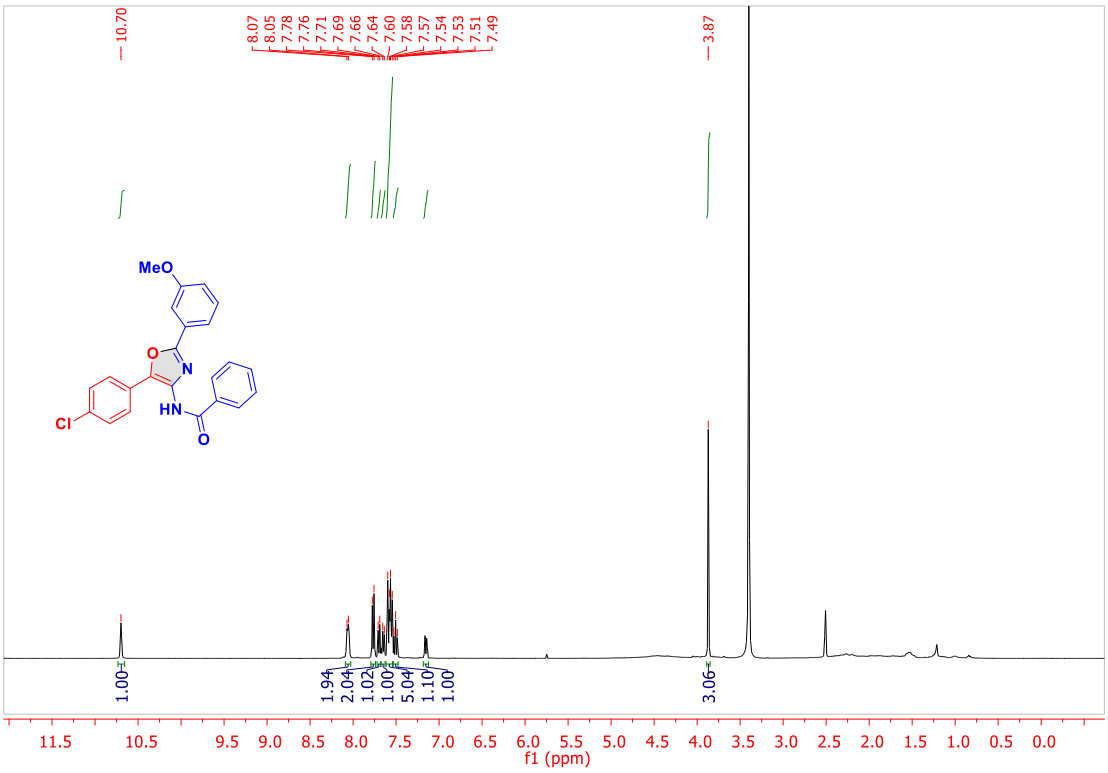
^{1}H NMR Of 3u in DMSO-d_6



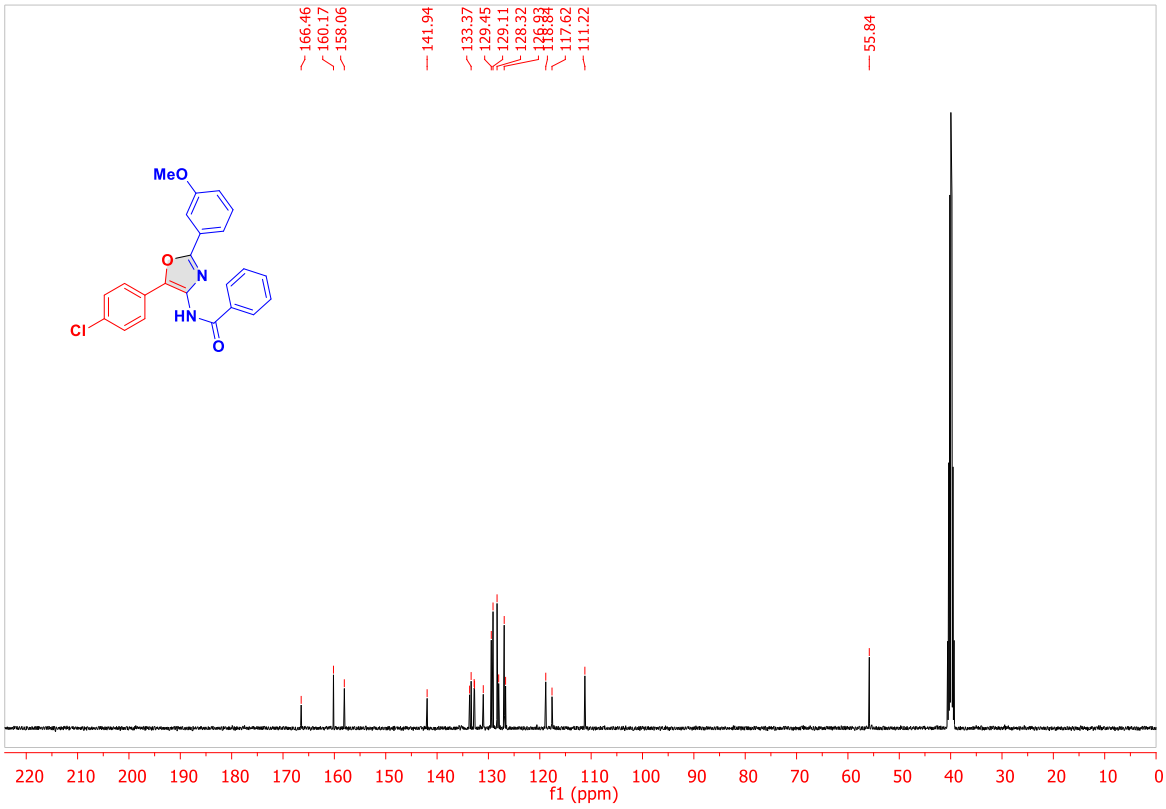
^{13}C{^{1}H} NMR of 3u in DMSO-d_6



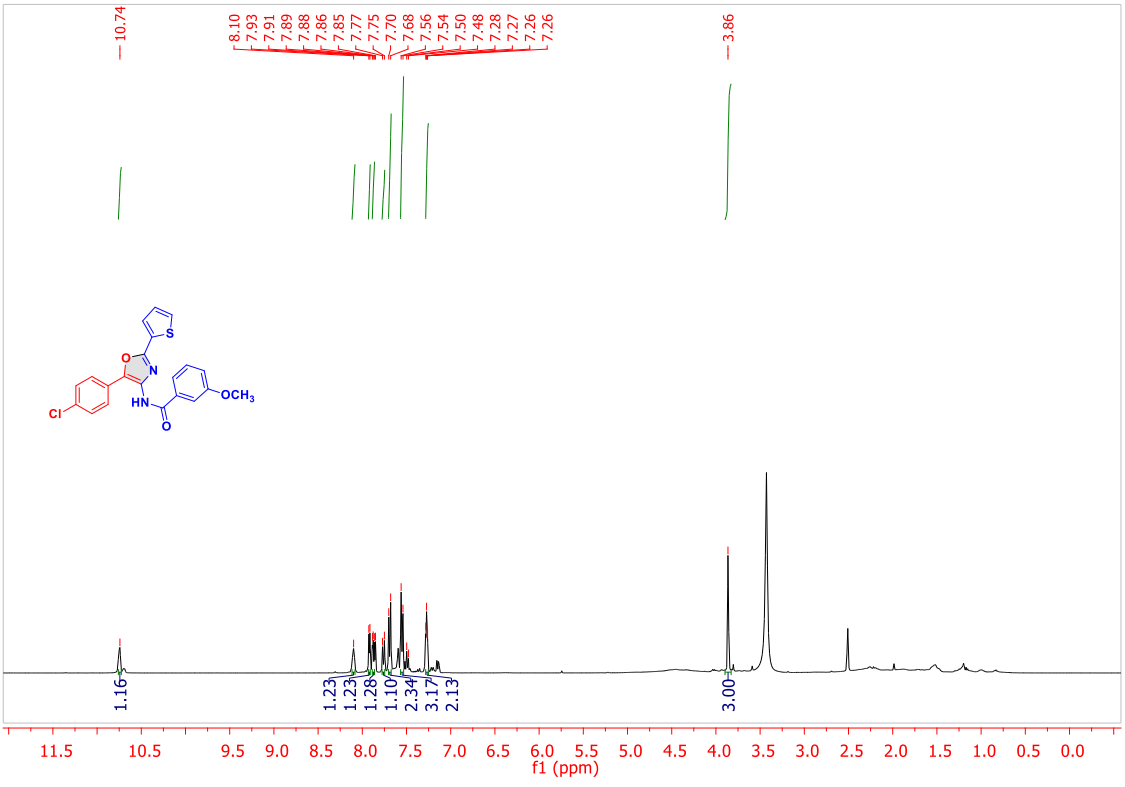
^{1}H NMR Of 3v in DMSO- d_6



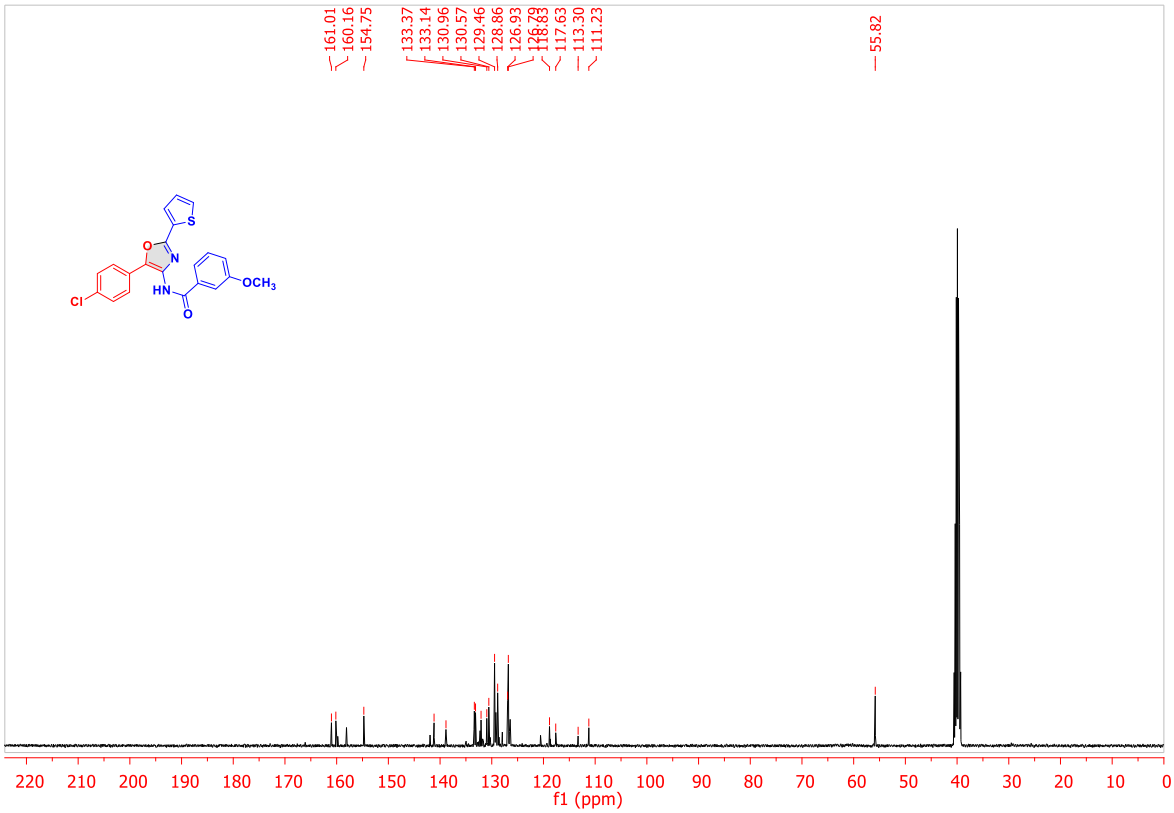
$^{13}C\{^{1}H\}$ NMR of 3v in DMSO-d_6



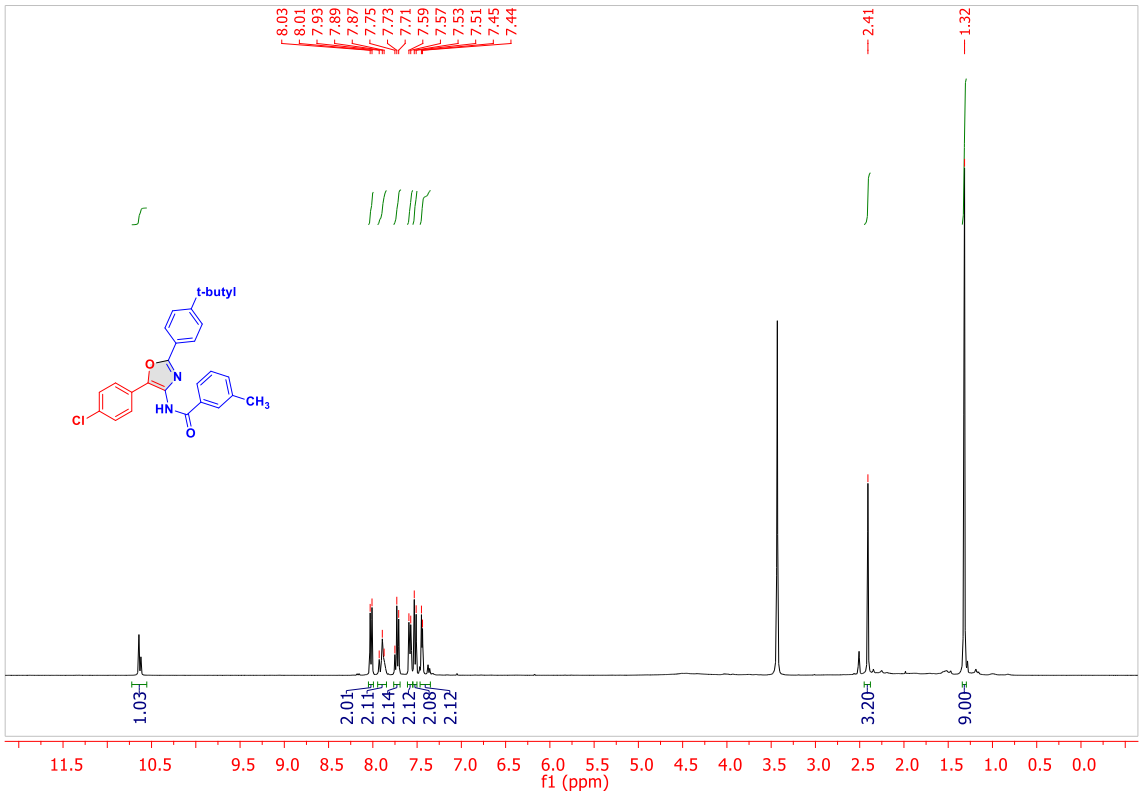
^{1}H NMR Of 3w in DMSO- d_6



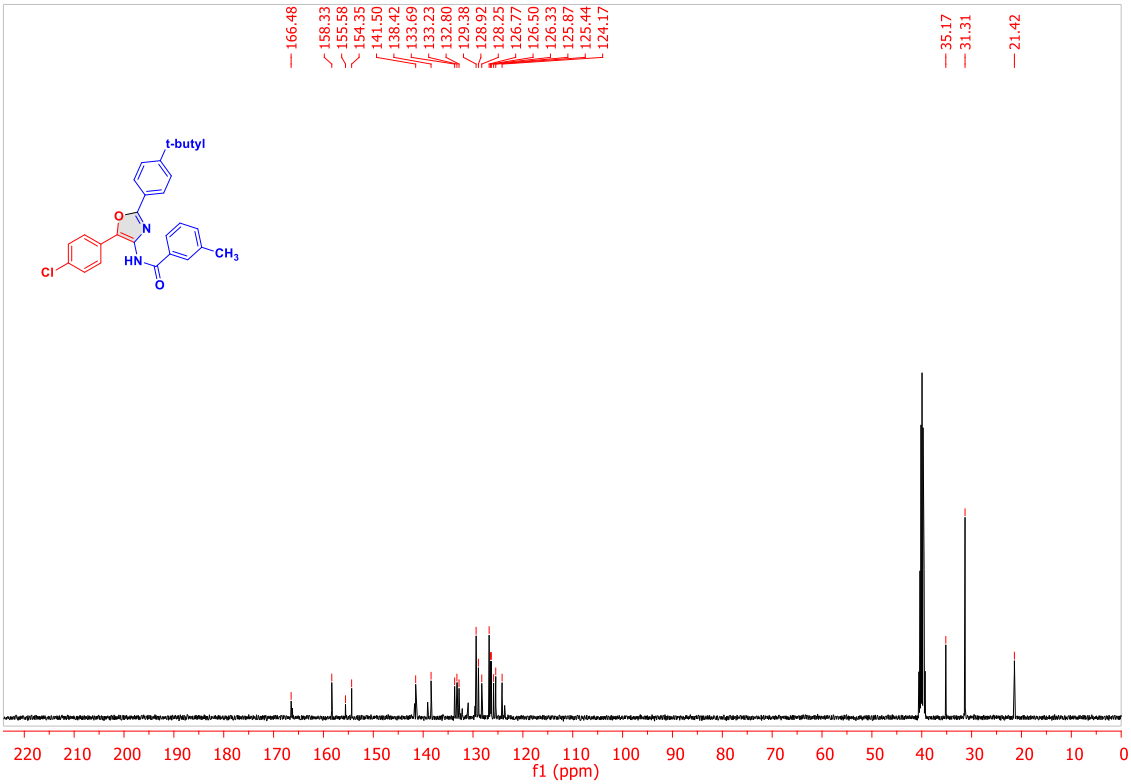
$^{13}C\{^{1}H\}$ NMR of 3w in DMSO-d_6



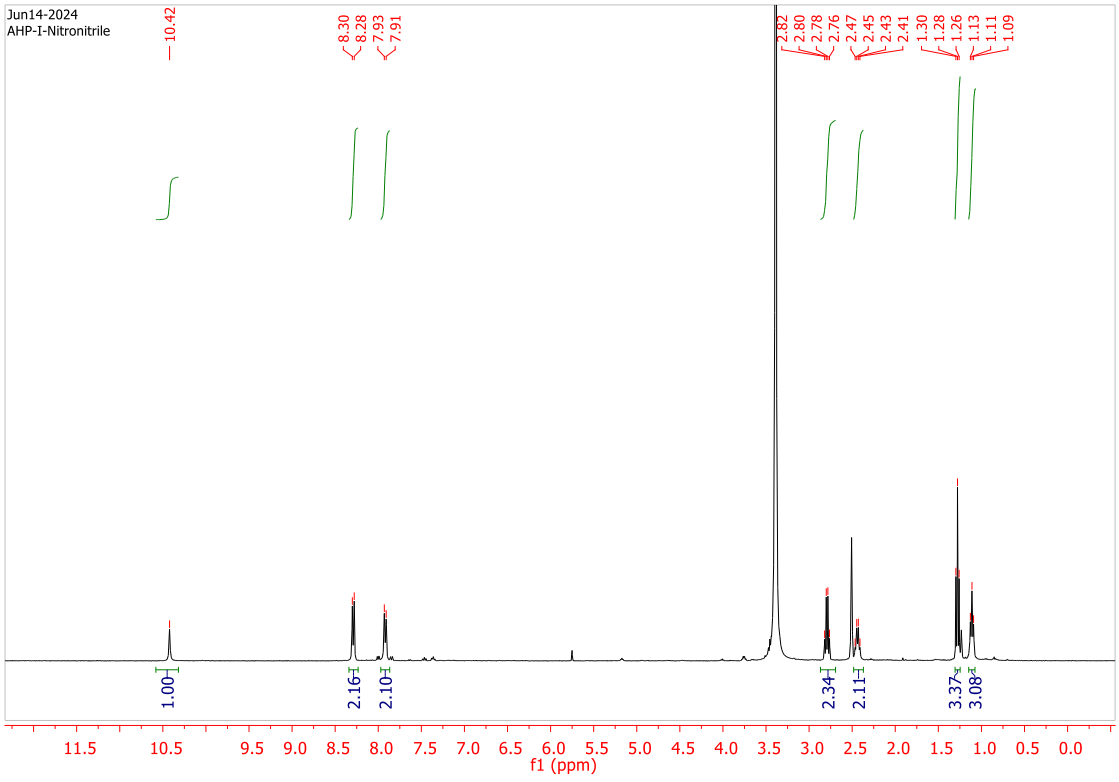
^{1}H NMR Of 3x in DMSO-d_6



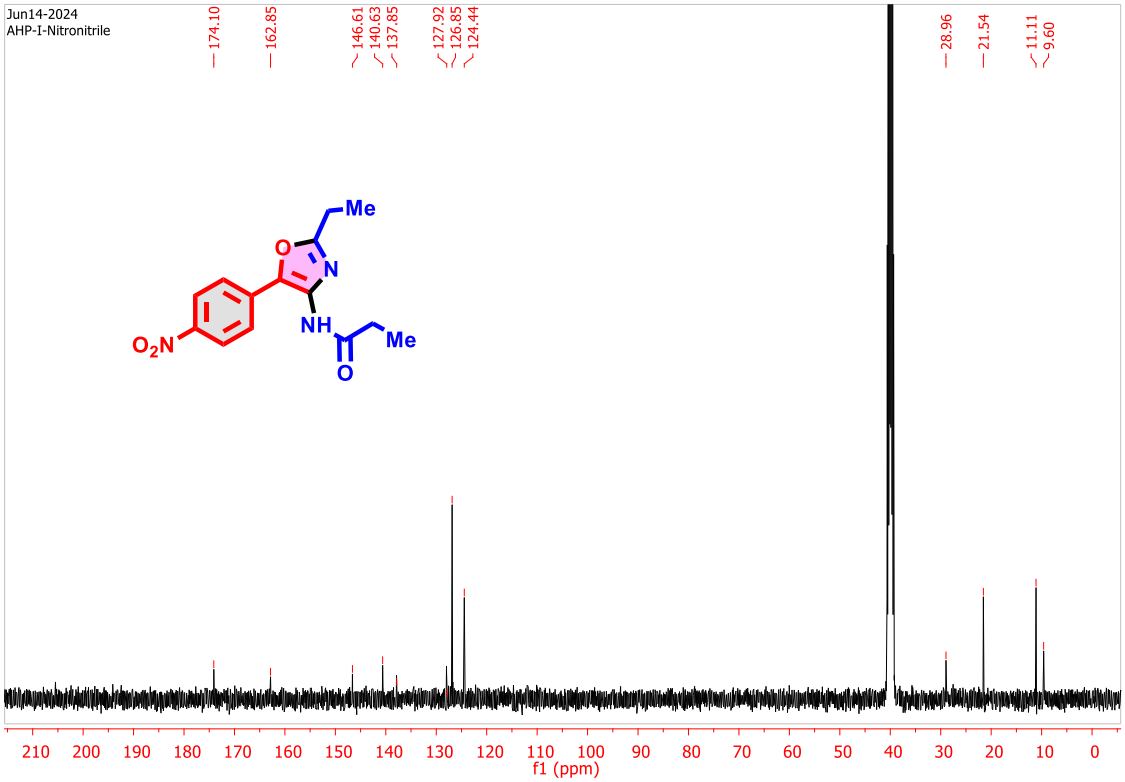
$^{13}C\{^{1}H\}$ NMR of 3x in DMSO-d_6



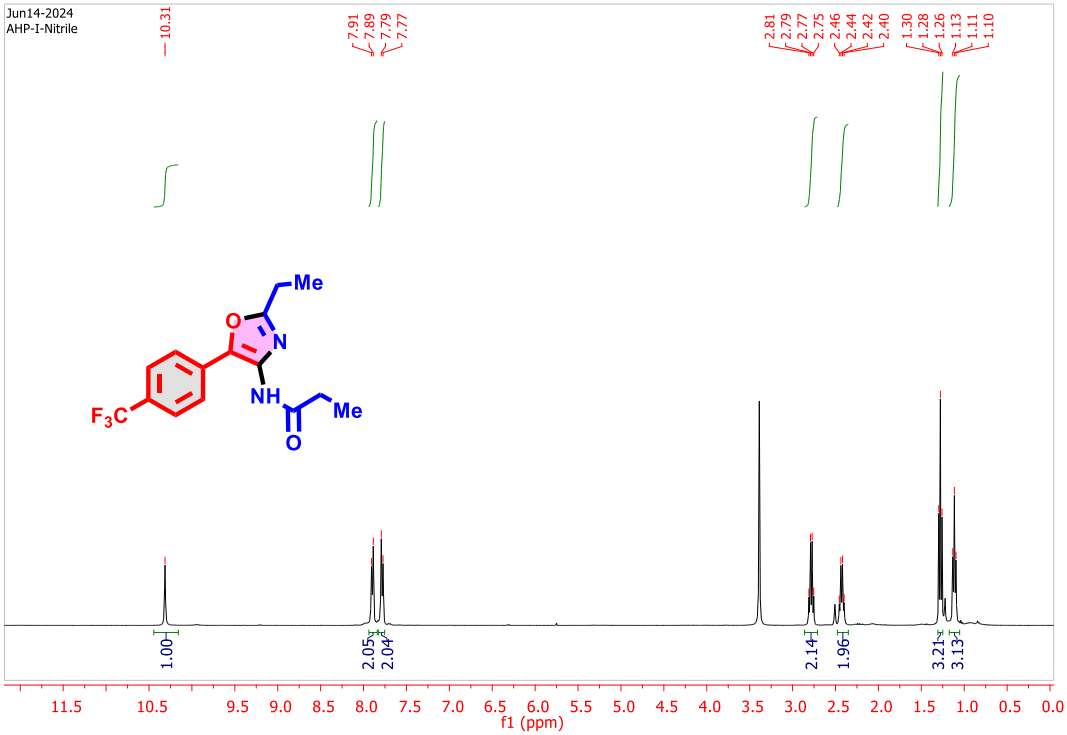
^{1}H NMR Of 3y in DMSO-d_6



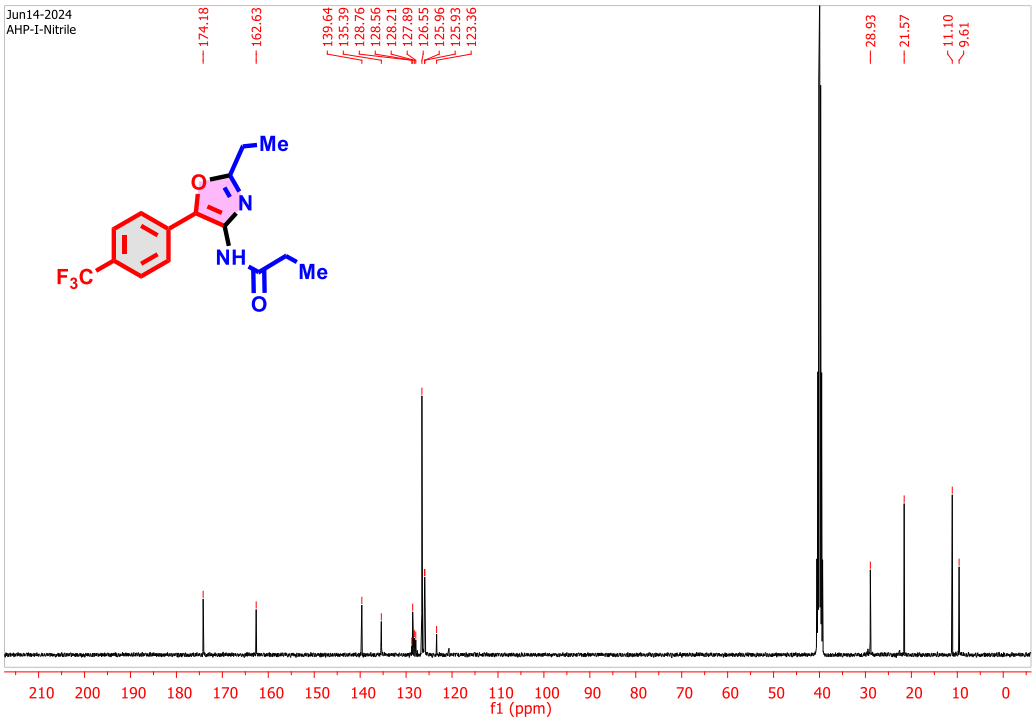
$^{13}C\{^{1}H\}$ NMR of 3y in DMSO-d_6



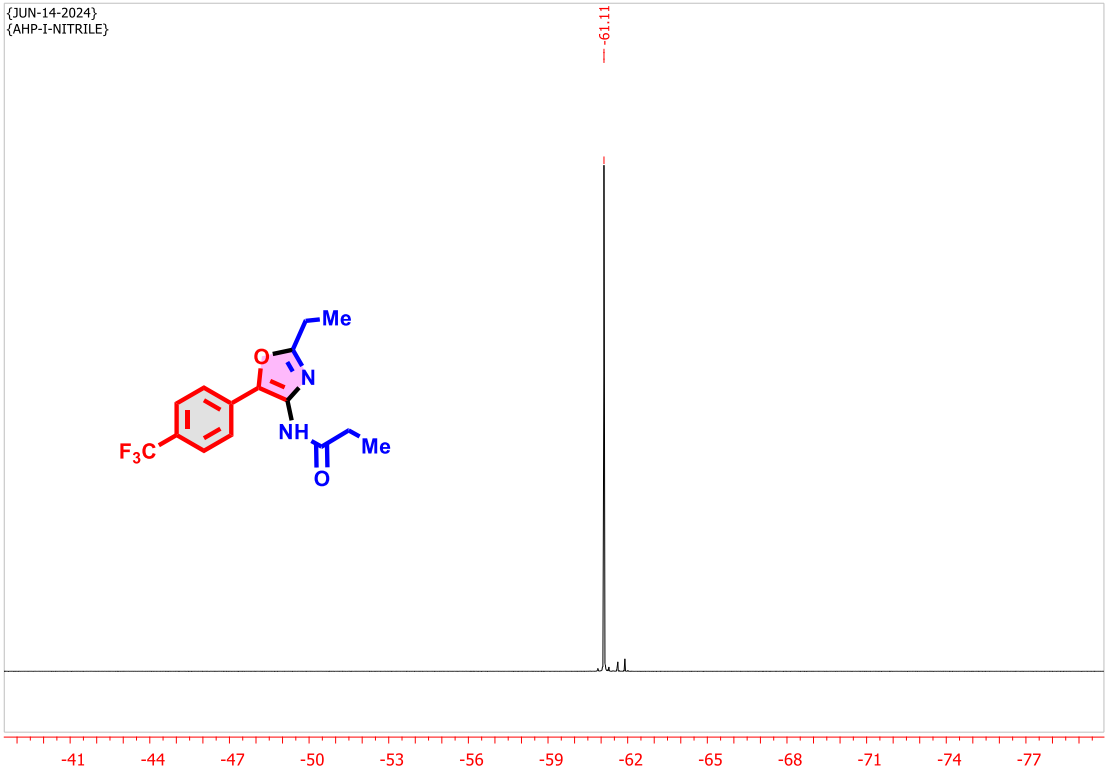
^{1}H NMR Of 3z in DMSO-d_6



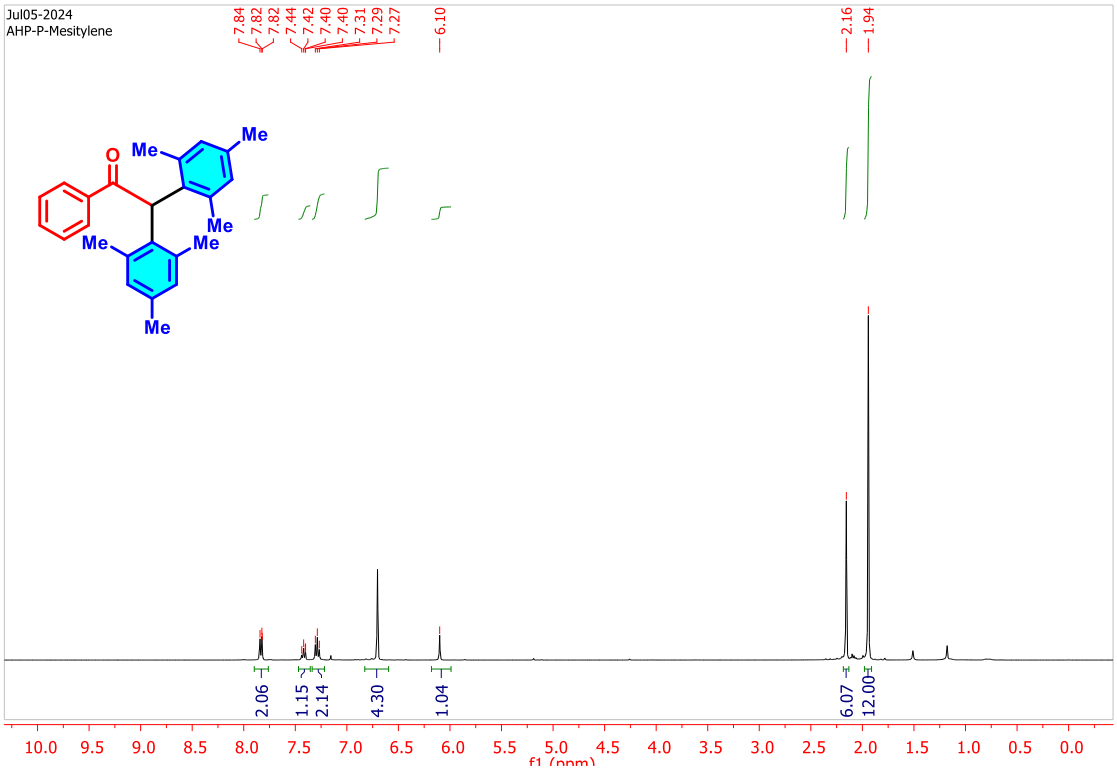
$^{13}C\{^{1}H\}$ NMR of 3z in DMSO-d_6



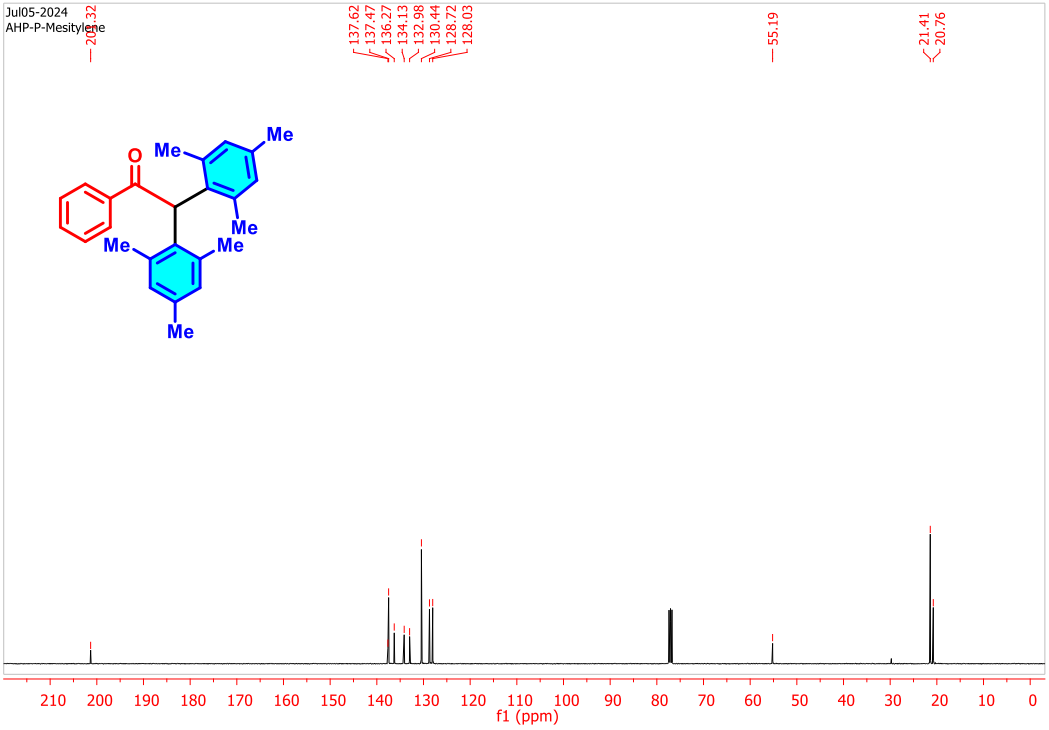
^{19}F NMR of 3z in DMSO-d_6



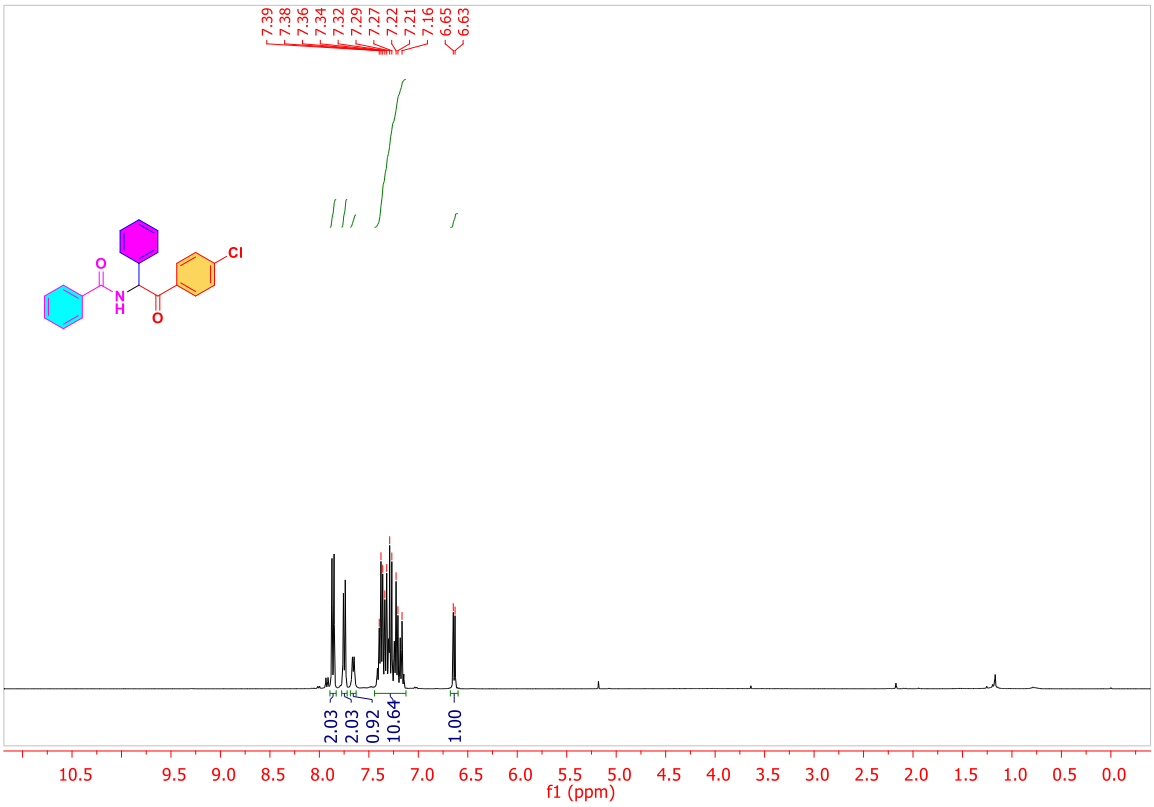
^{1}H NMR Of 3za in $CDCl_3$



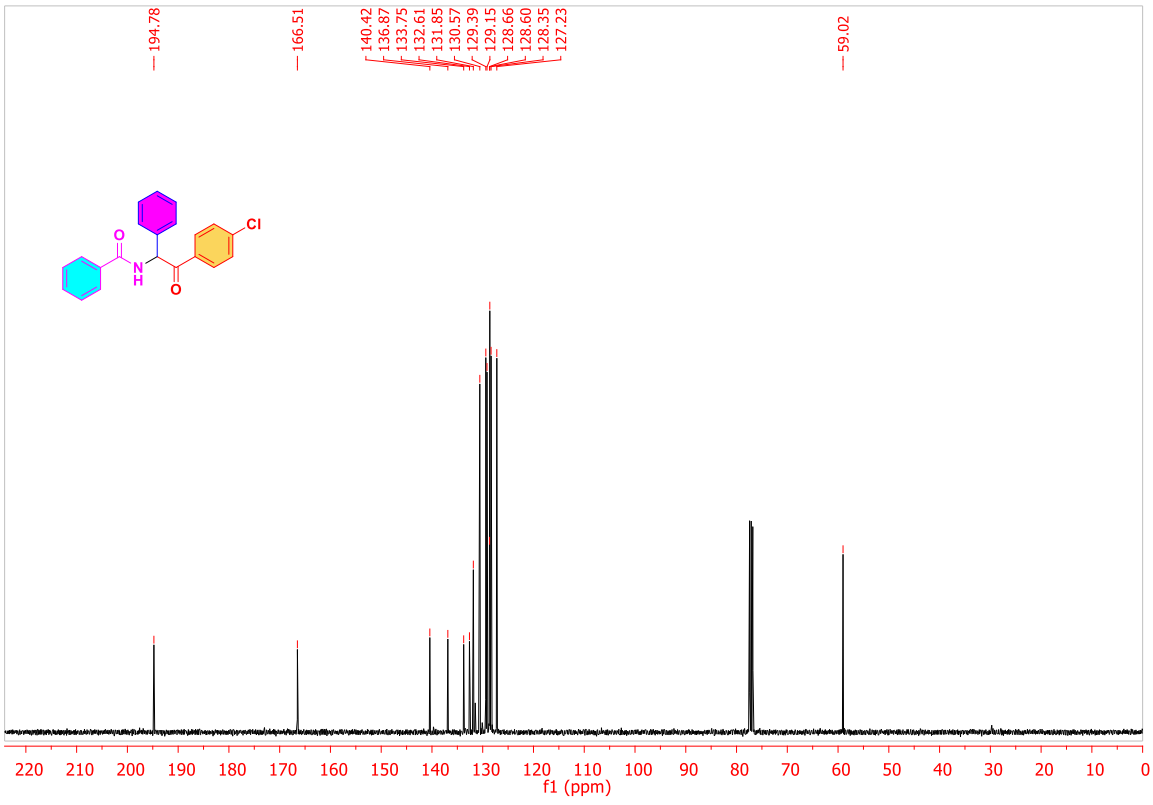
^{13}C{^{1}H} NMR of 3za in $CDCl_3$



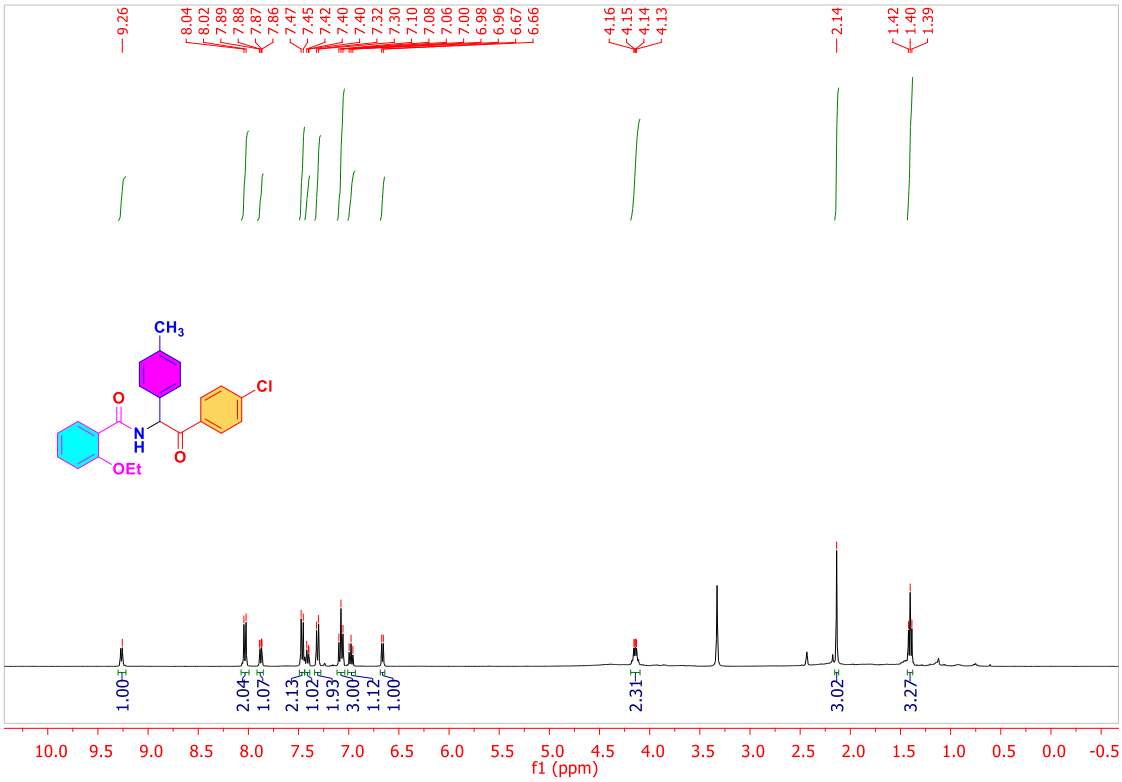
^{1}H NMR Of 5a in $CDCl_3$



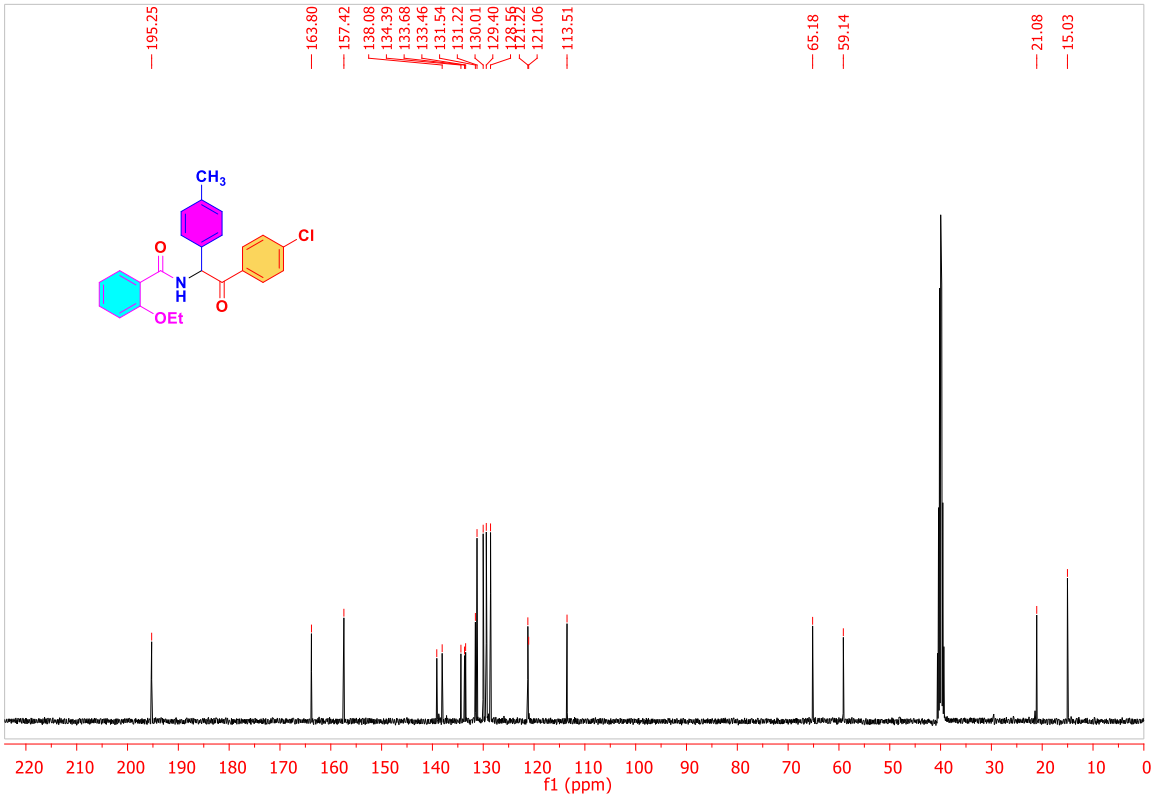
$^{13}C\{^{1}H\}$ NMR of 5a in $CDCl_3$



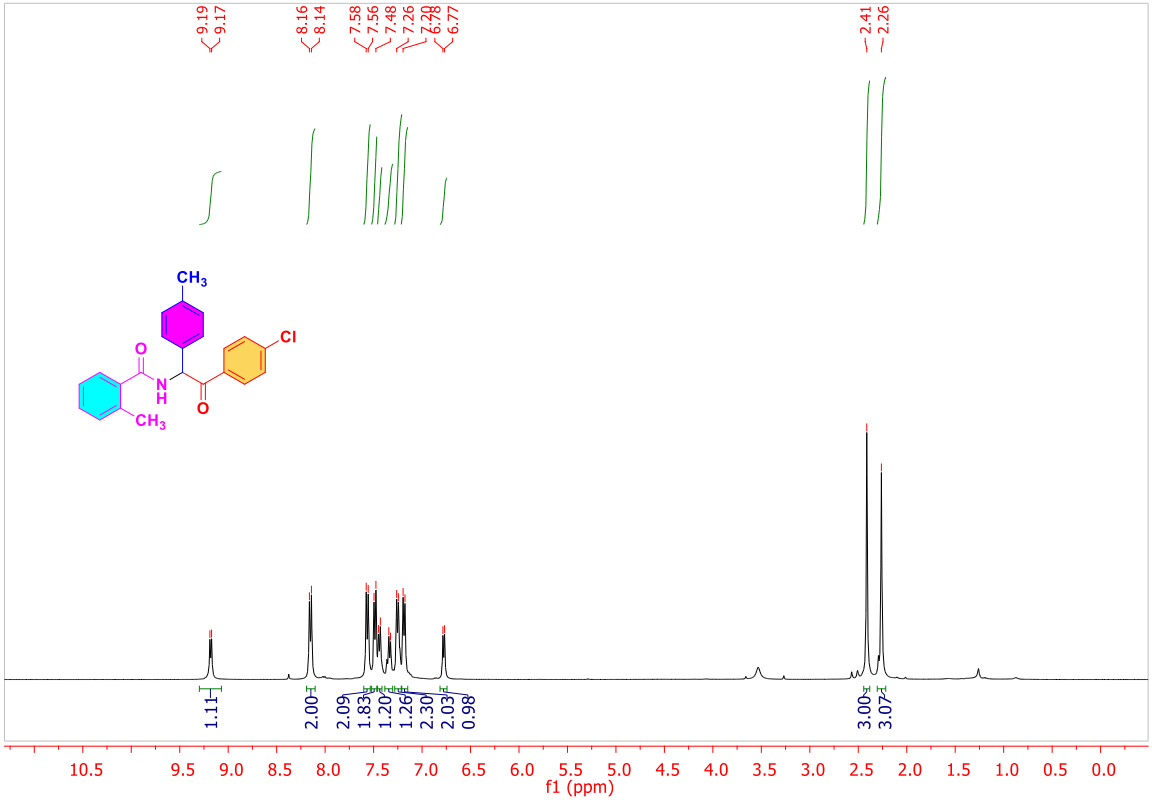
^{1}H NMR Of 5b in DMSO-d$_6$



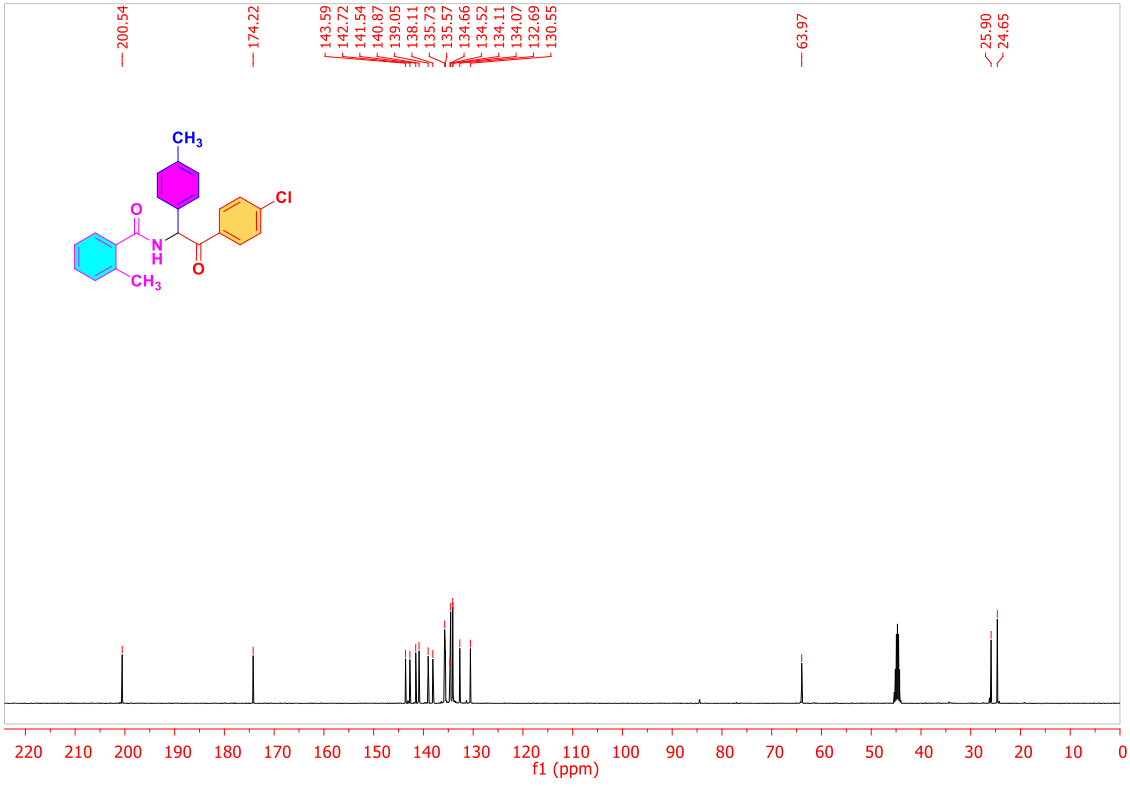
^{13}C{^{1}H} NMR of 5b in DMSO-d$_6$



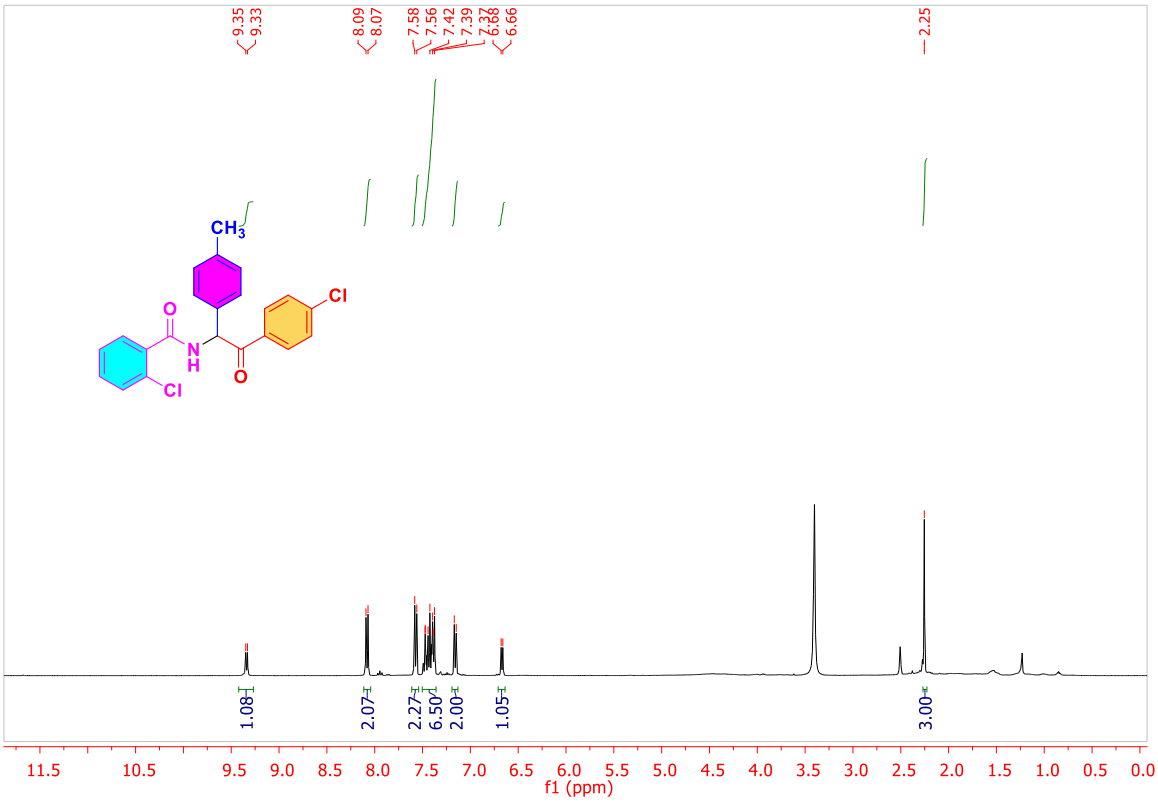
^{1}H NMR Of 5c in DMSO-d$_6$



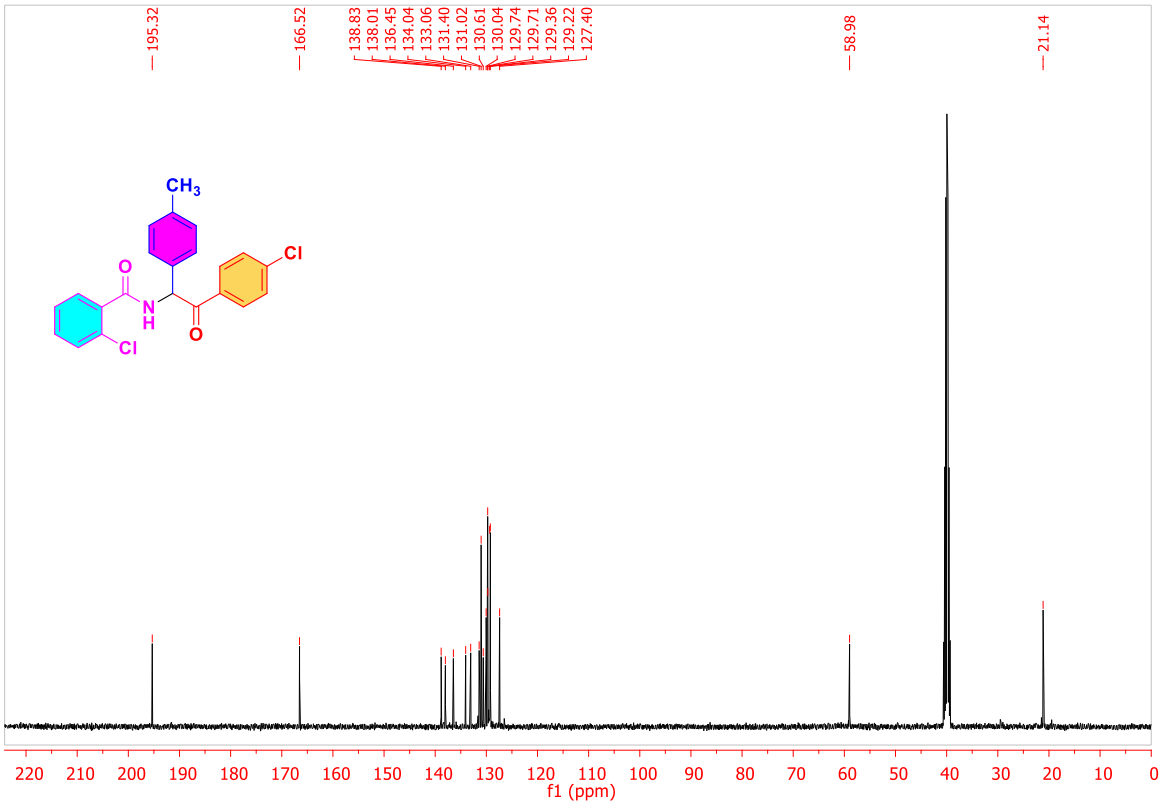
^{13}C{^{1}H} NMR of 5c in DMSO-d$_6$



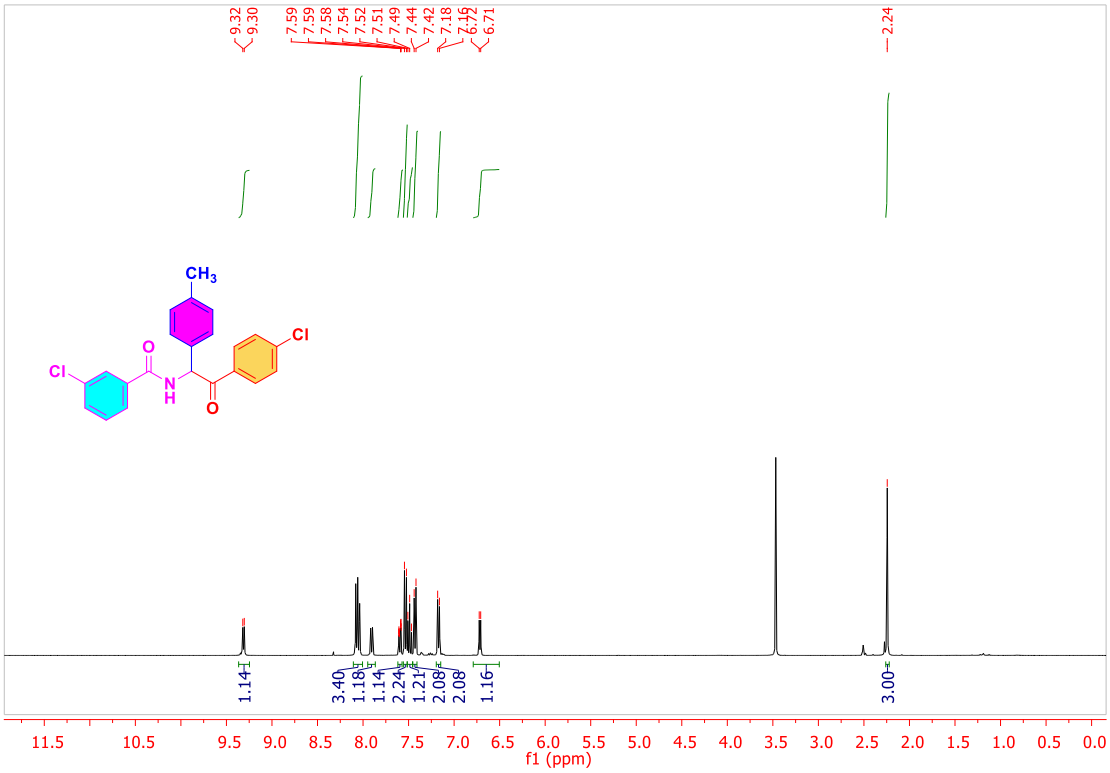
^{1}H NMR Of 5d in DMSO-d$_6$



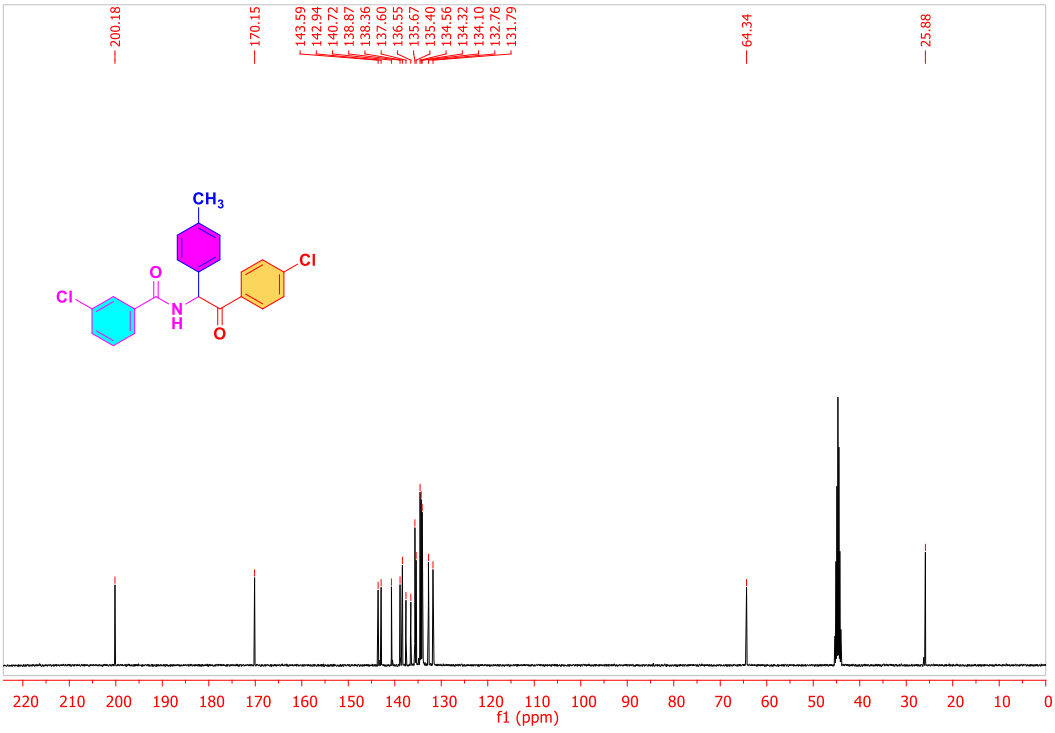
^{13}C{^{1}H} NMR of 5d in DMSO-d$_6$



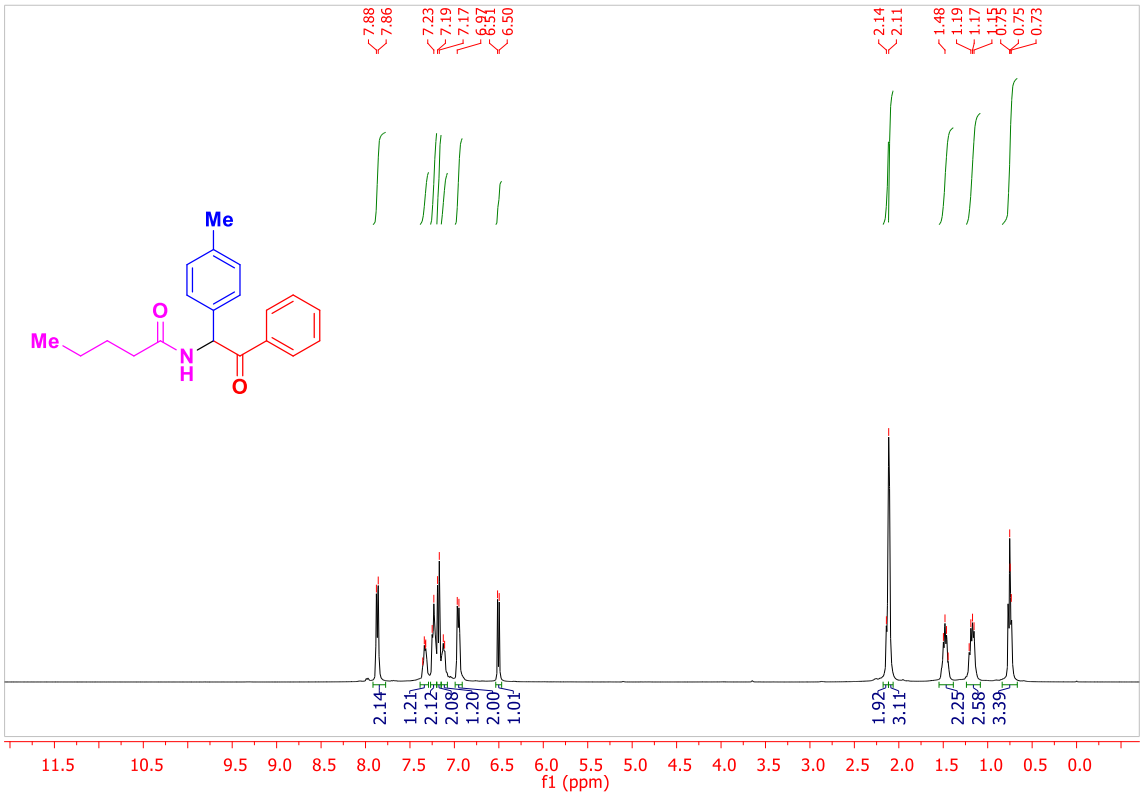
^{1}H NMR Of 5e in DMSO-d$_6$



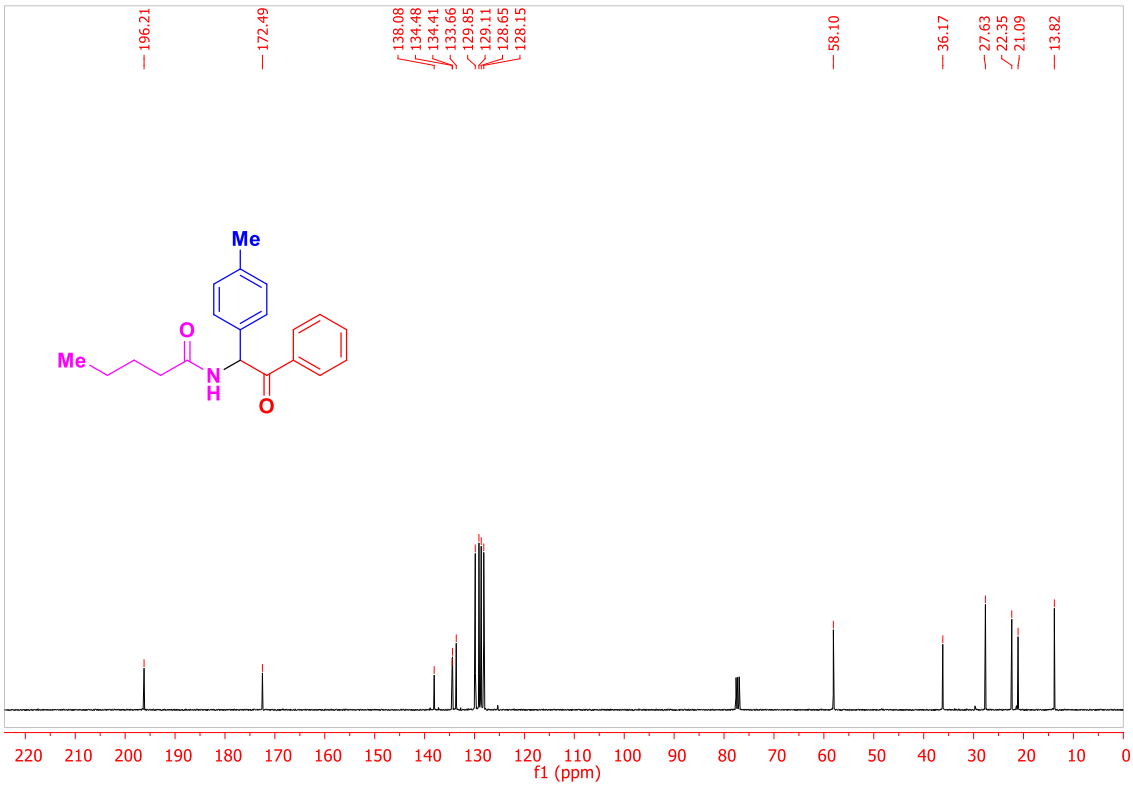
^{13}C{^{1}H} NMR of 5e in DMSO-d$_6$



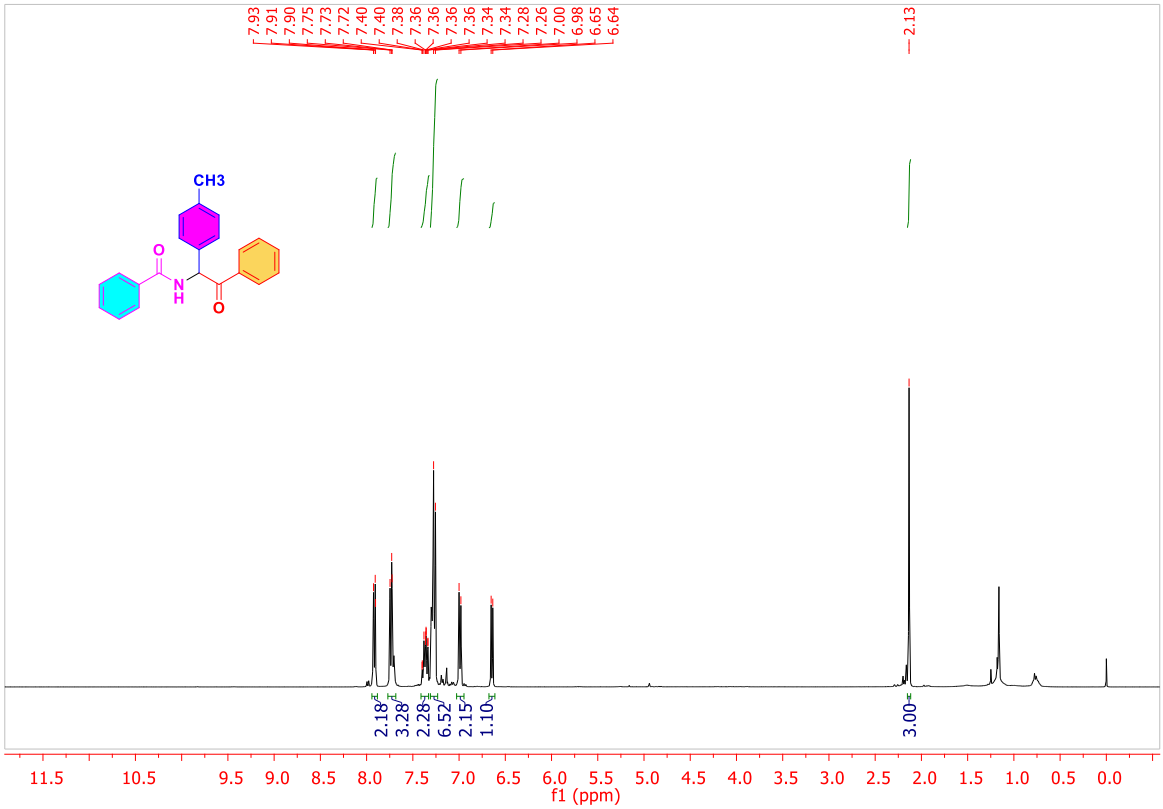
^{1}H NMR Of 5f in $CDCl_3$



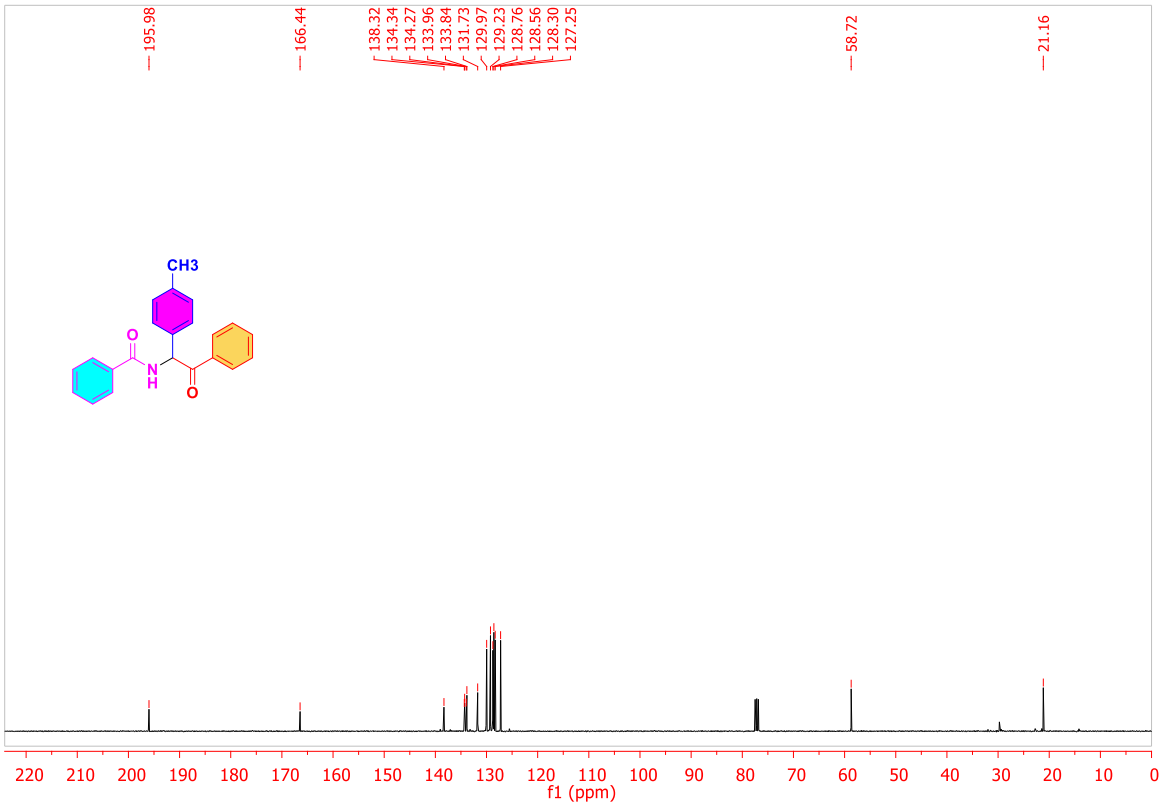
^{13}C{^{1}H} NMR of 5f in $CDCl_3$



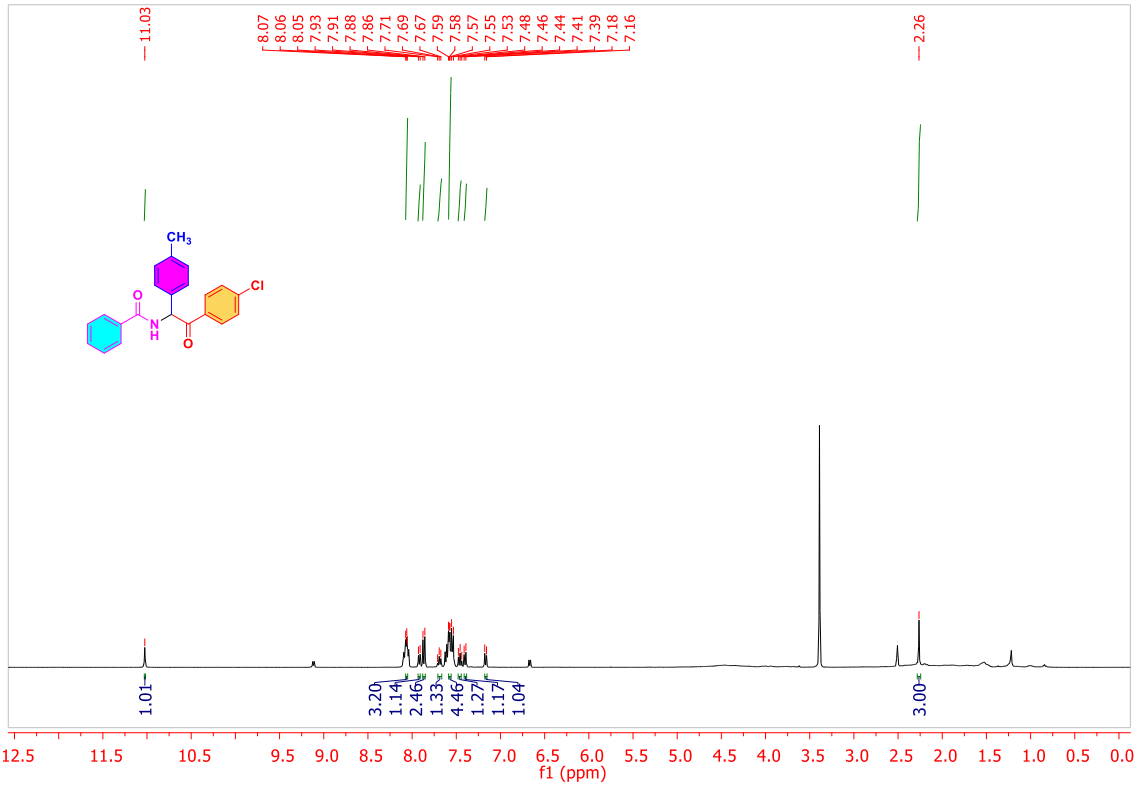
^{1}H NMR Of 5g in DMSO-d$_6$



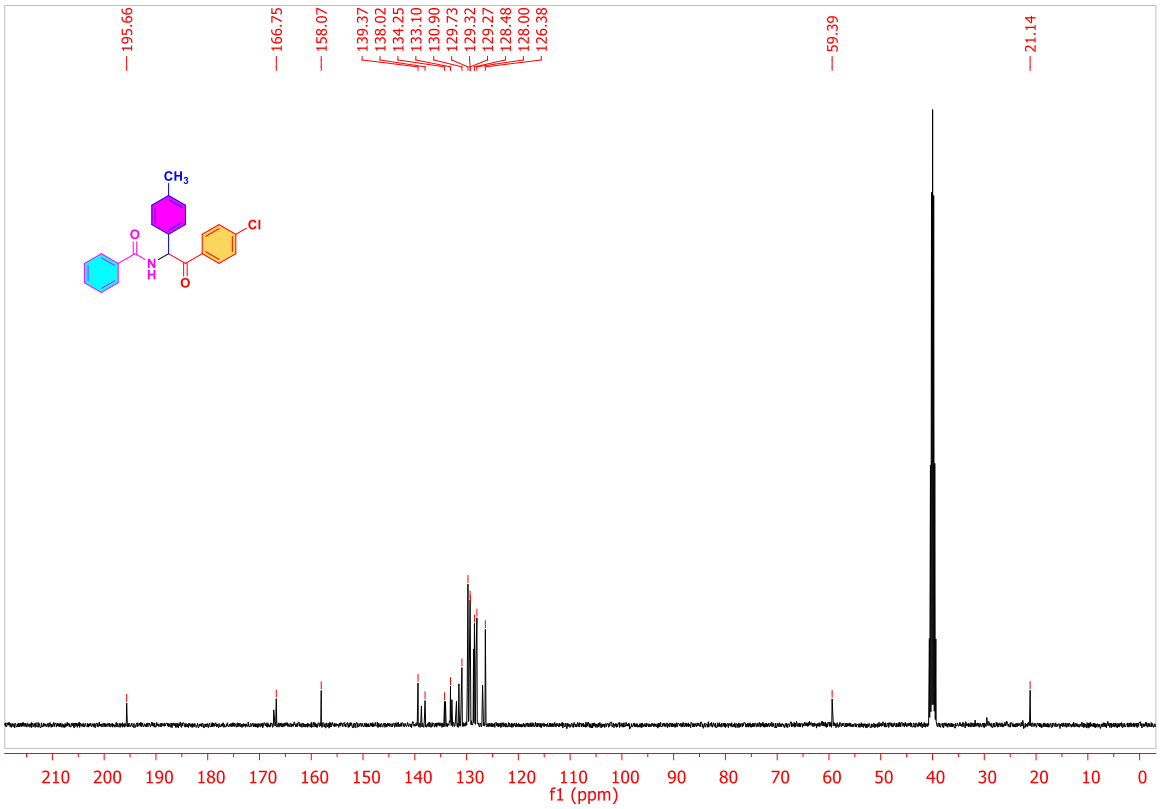
^{13}C{^{1}H} NMR of 5g in DMSO-d$_6$



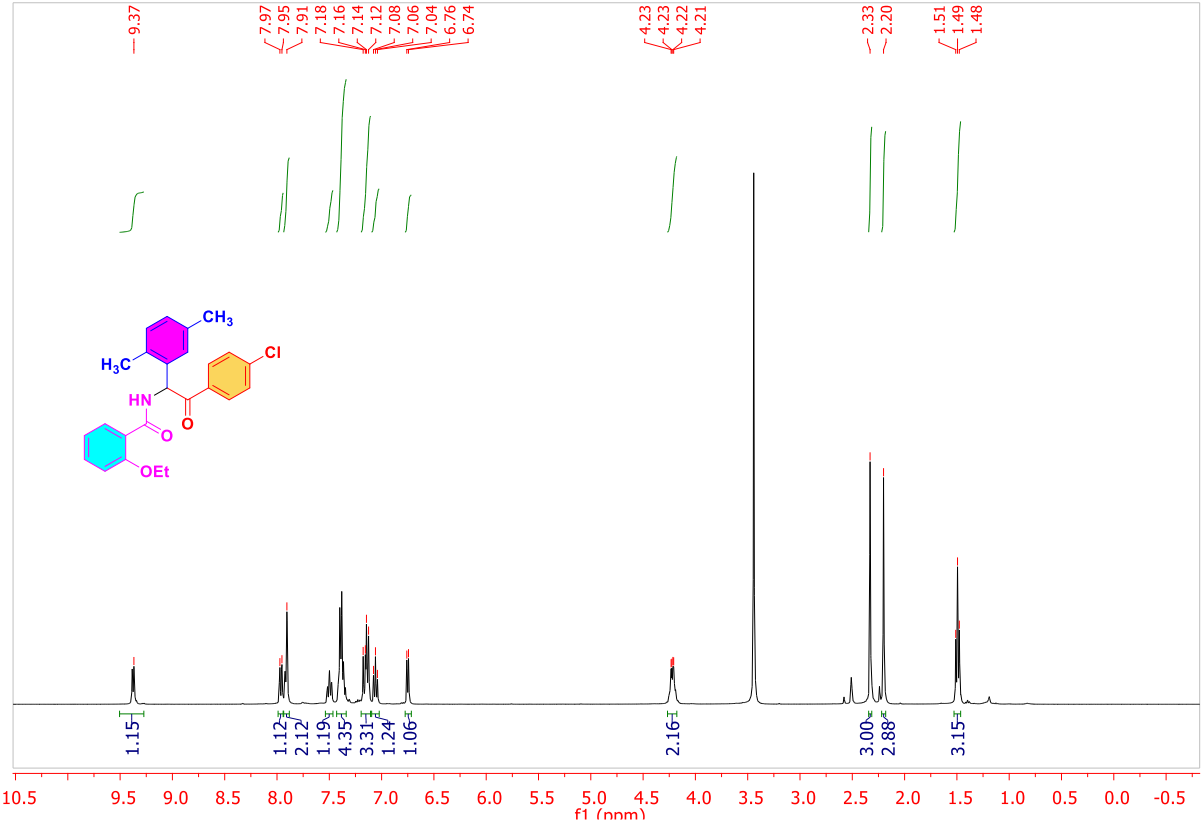
^{1}H NMR Of 5h in DMSO-d$_6$



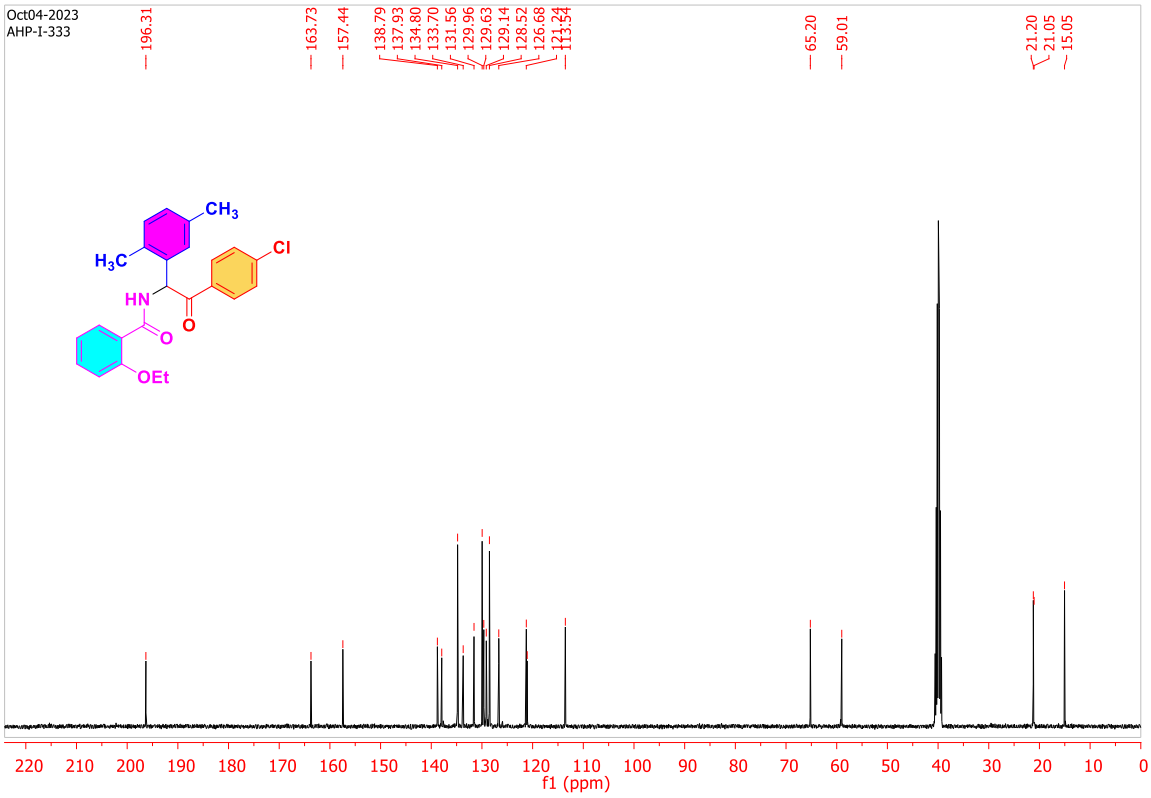
^{13}C{^{1}H} NMR of 5h in DMSO-d$_6$



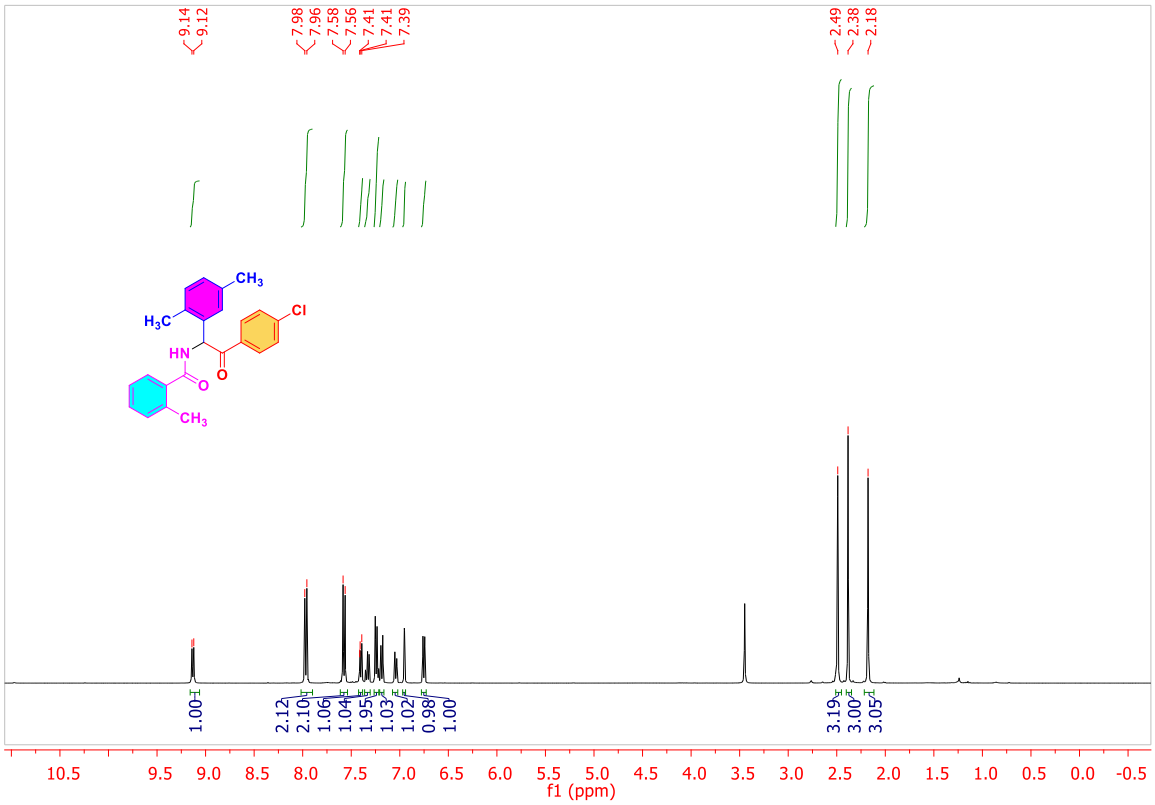
^{1}H NMR Of 5i in DMSO-d$_6$



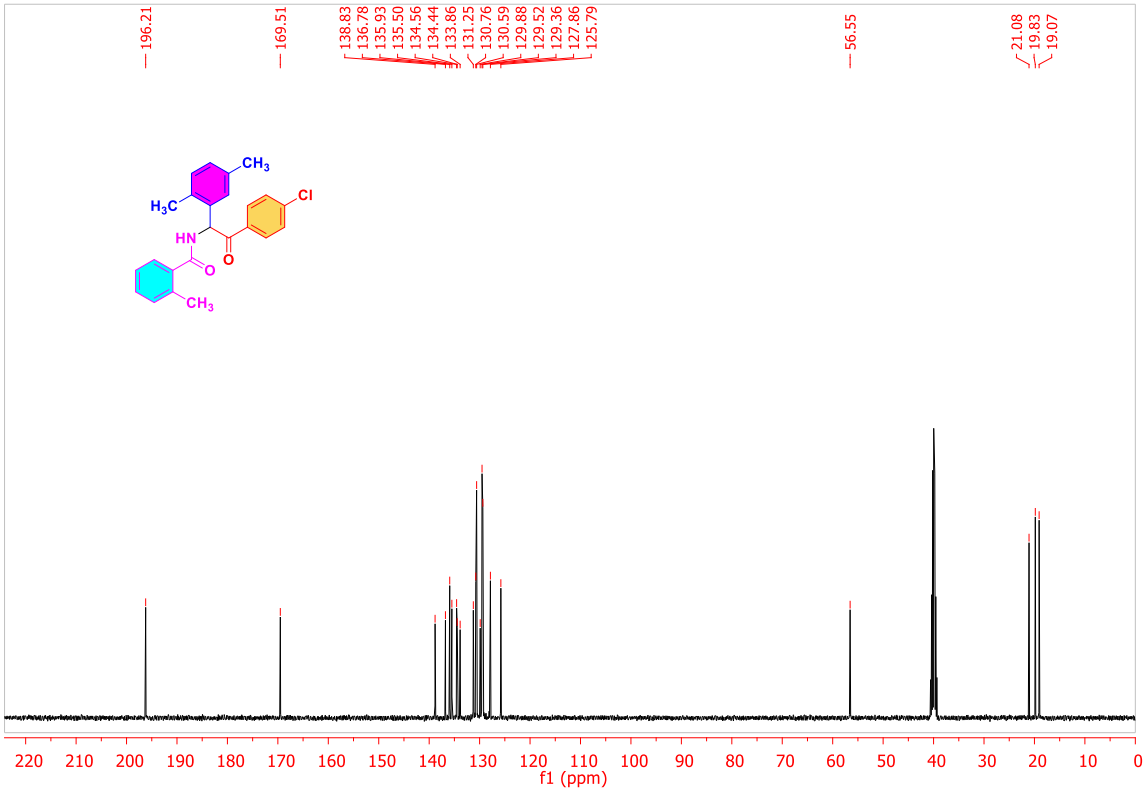
^{13}C{^{1}H} NMR of 5i in DMSO-d$_6$



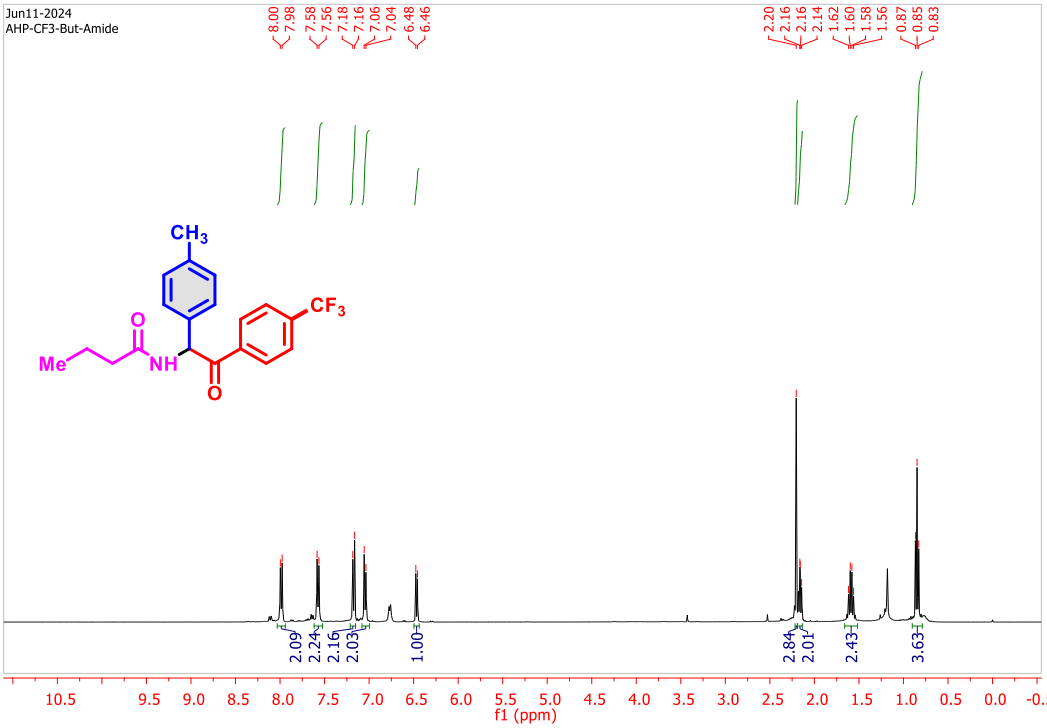
^{1}H NMR Of 5j in DMSO-d$_6$



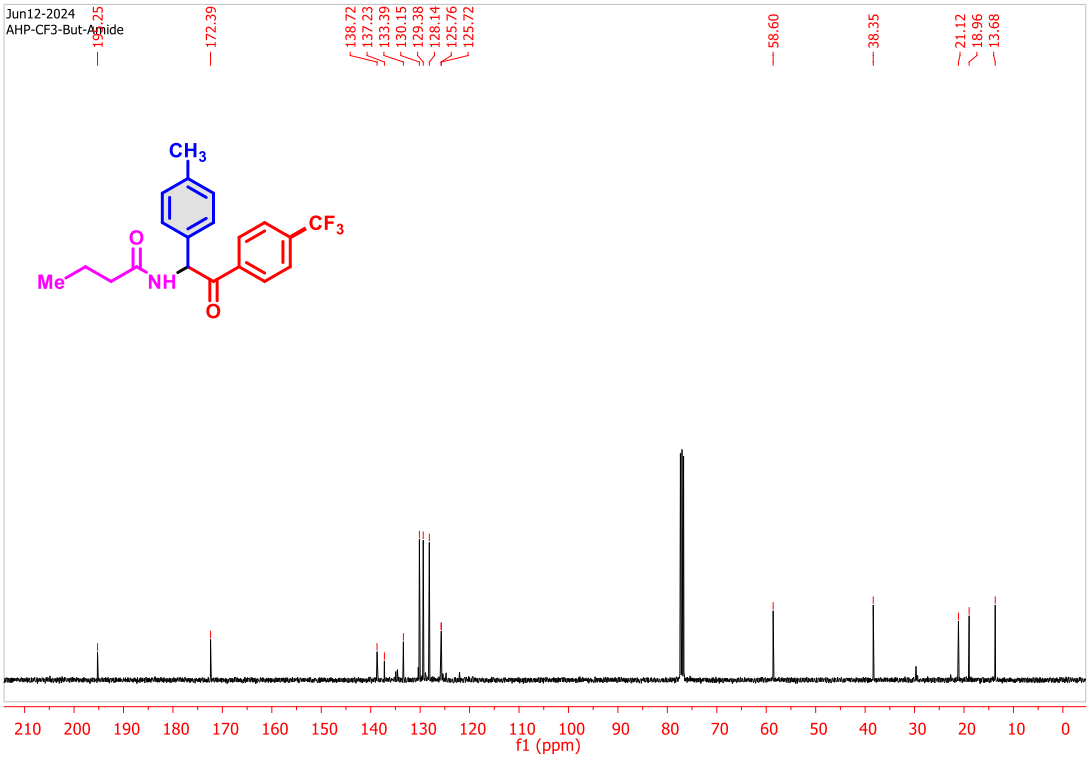
^{13}C{^{1}H} NMR of 5j in DMSO-d$_6$



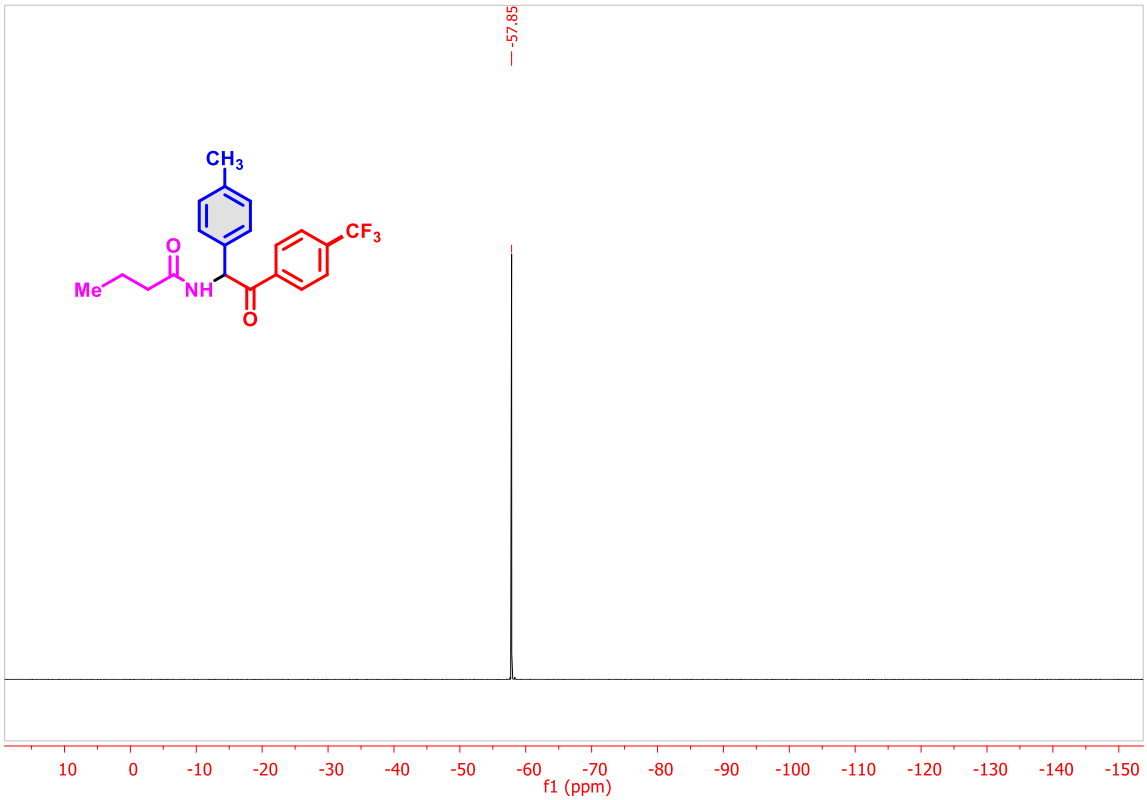
^{1}H NMR Of 5k in DMSO-d$_6$



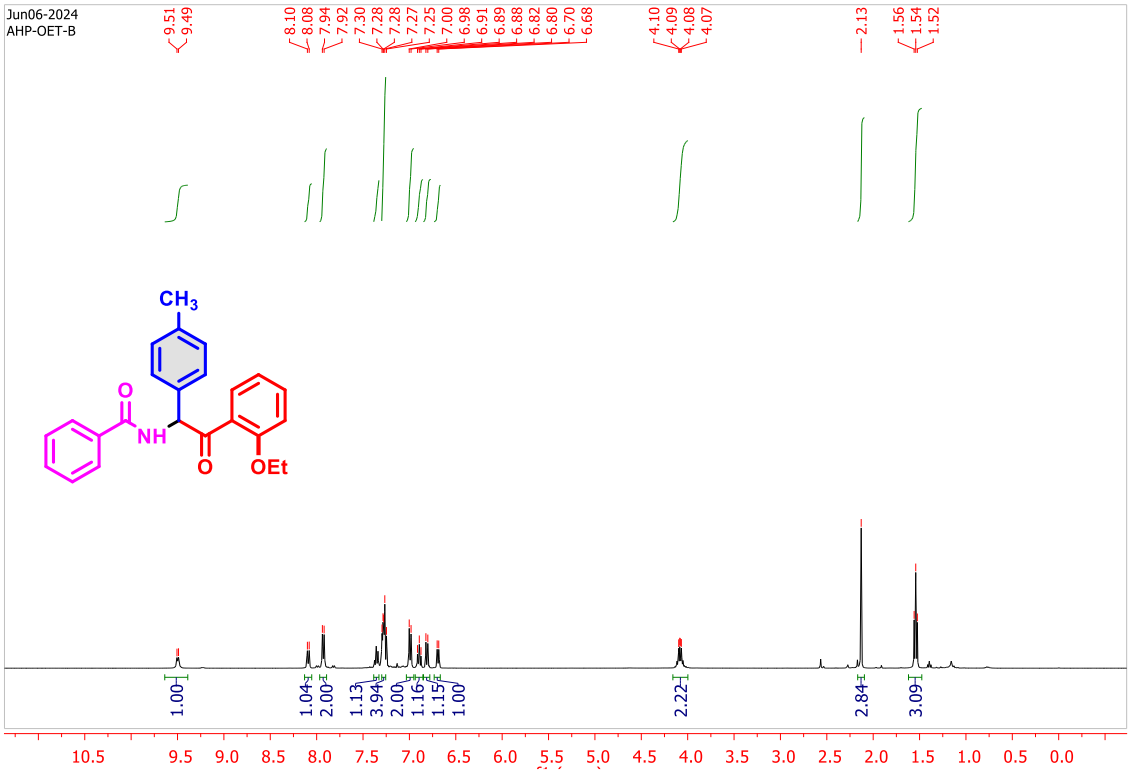
^{13}C{^{1}H} NMR of 5k in CDCl$_3$



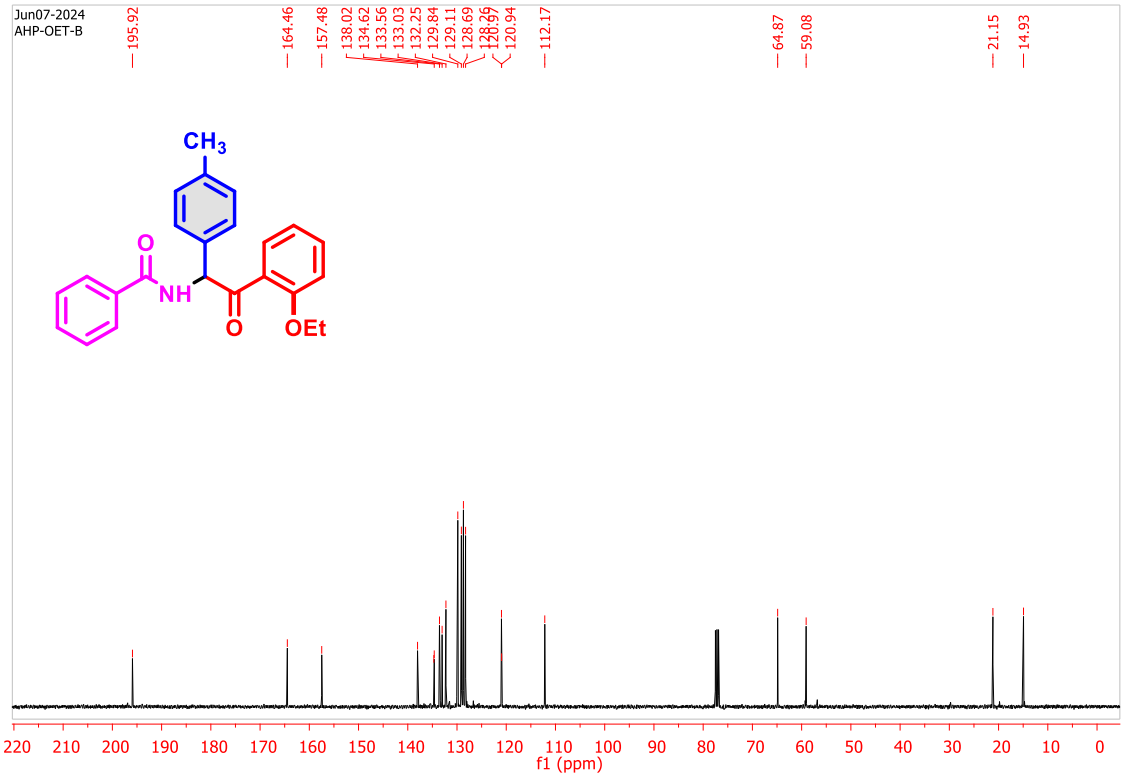
^{19}F NMR Of 5K in $CDCl_3$



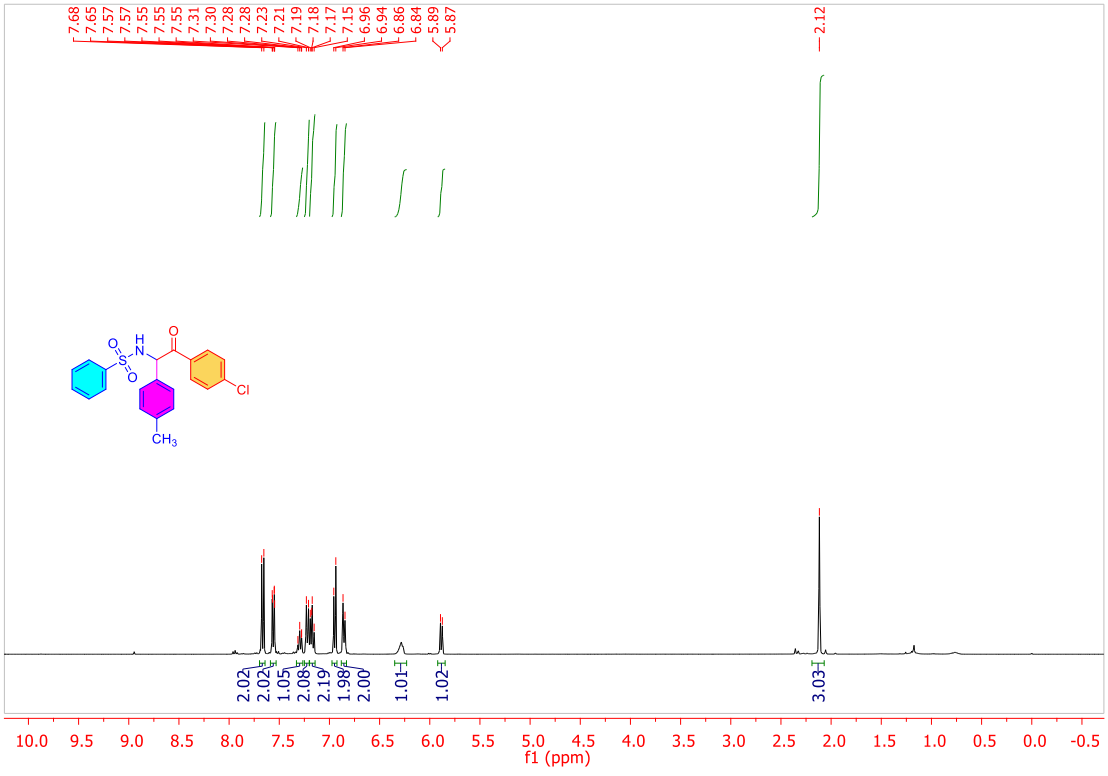
^{1}H NMR Of 5l in DMSO-d_6



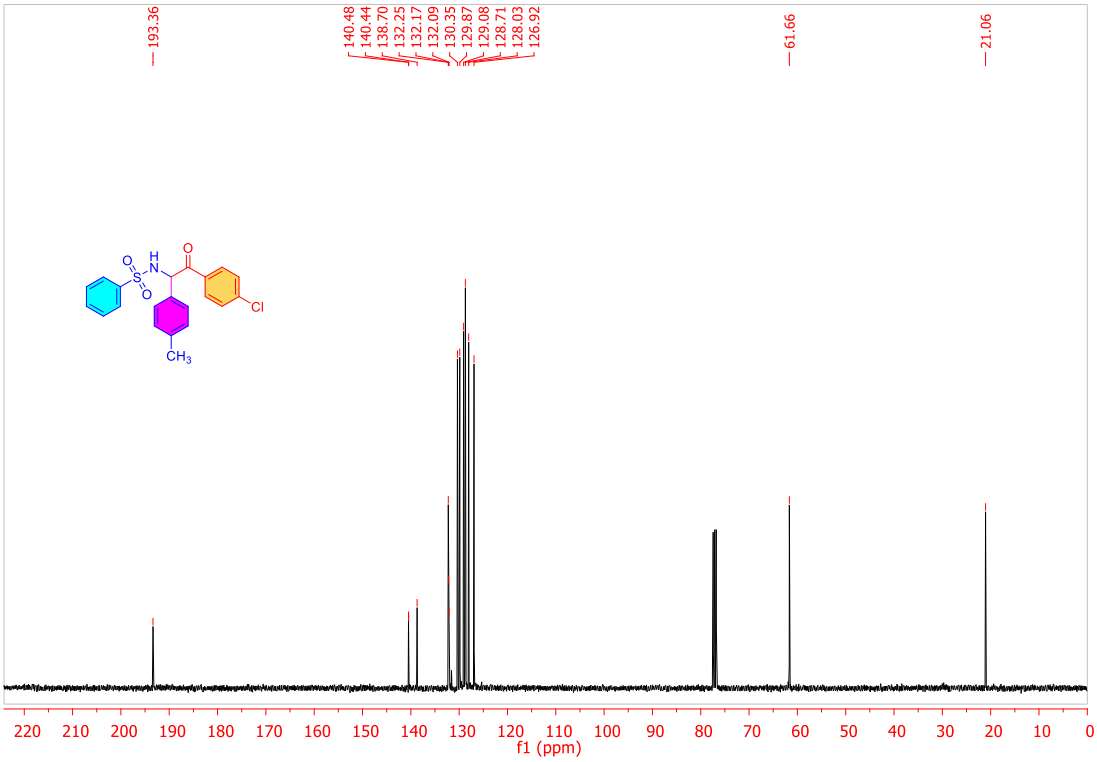
$^{13}C\{^{1}H\}$ NMR of 5l in $CDCl_3$



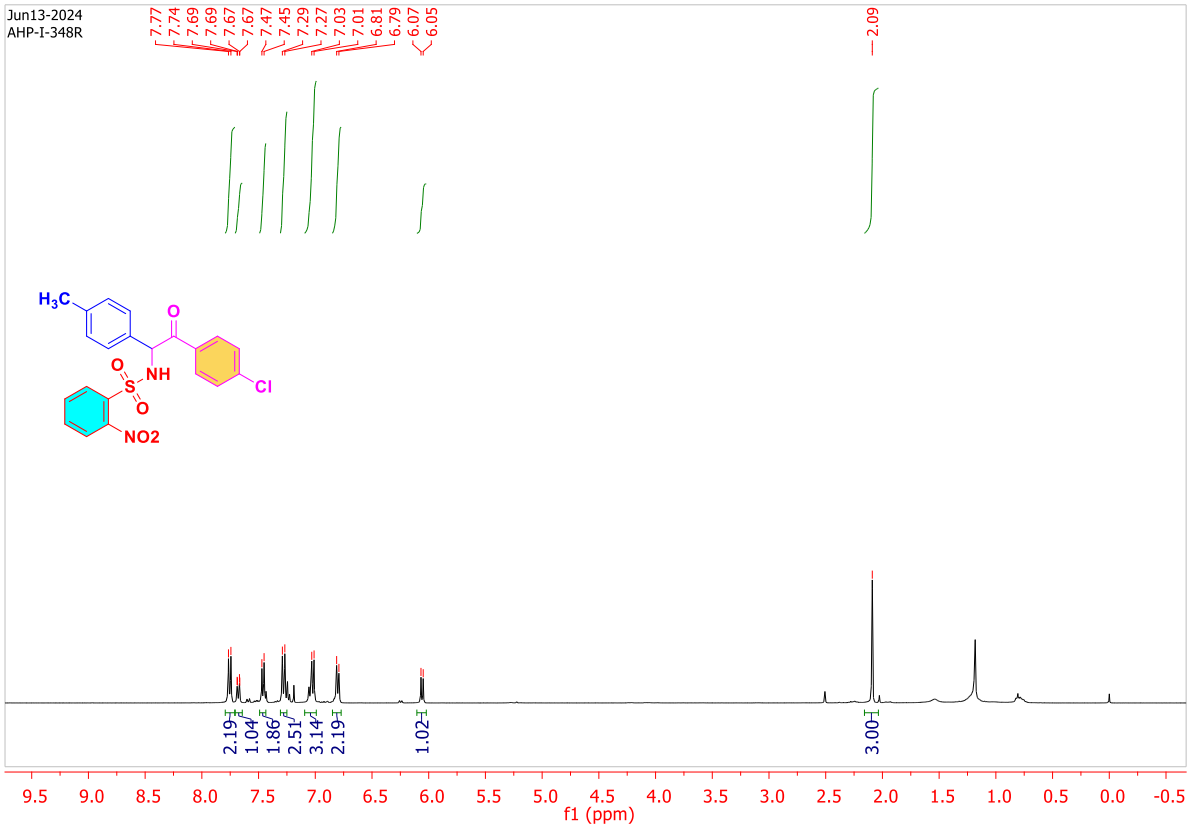
^{1}H NMR Of 5m in $CDCl_3$



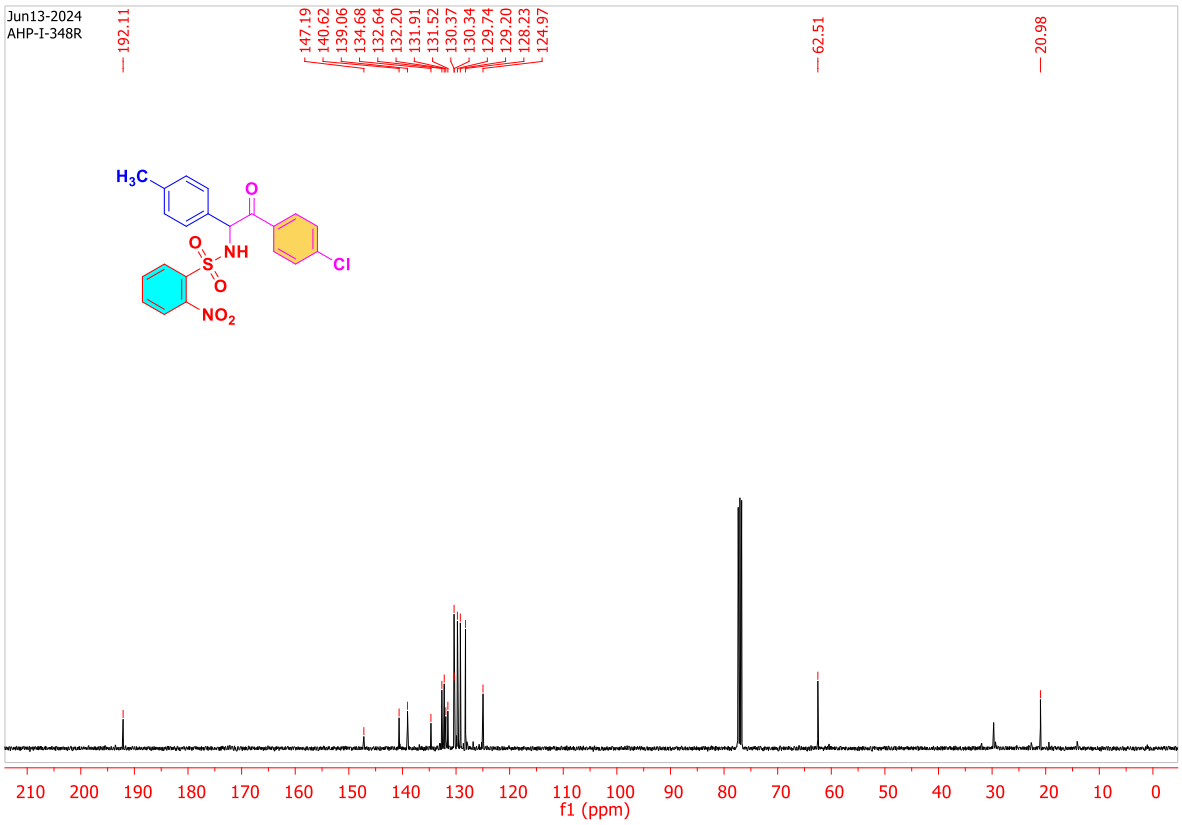
$^{13}C\{^{1}H\}$ NMR of 5m in $CDCl_3$



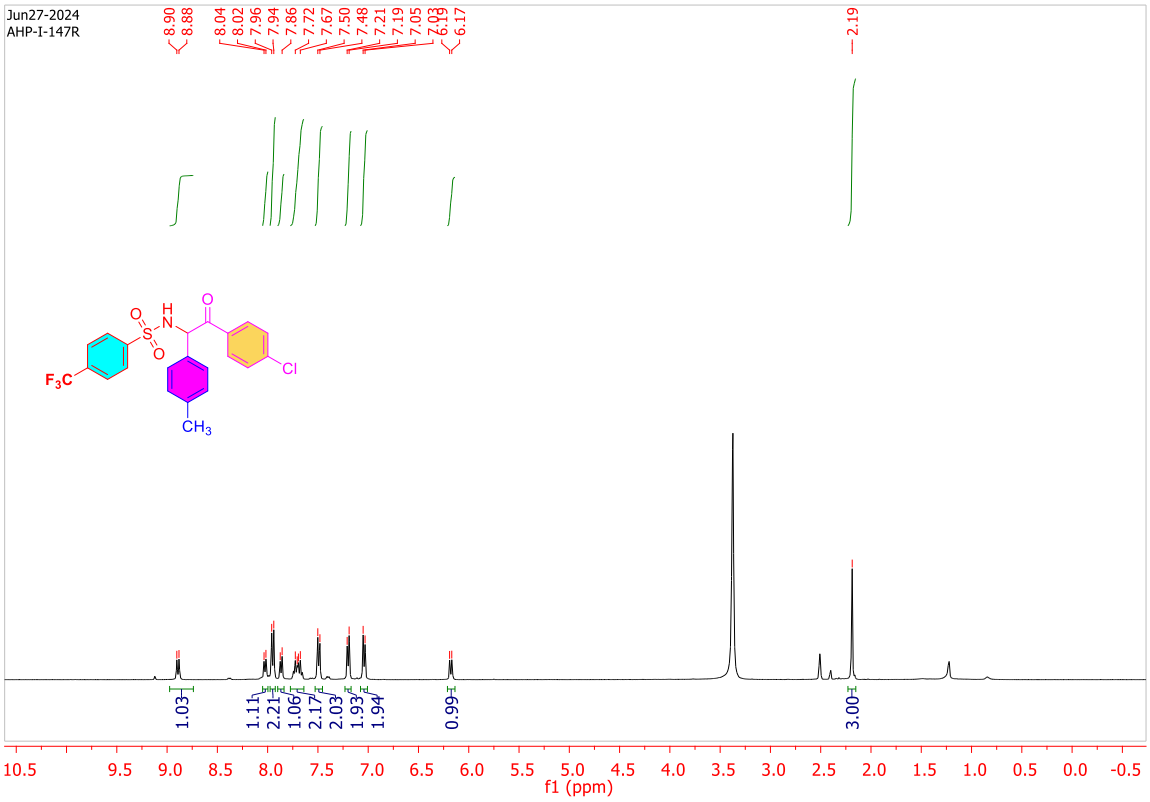
^{1}H NMR Of 5n in $CDCl_3$



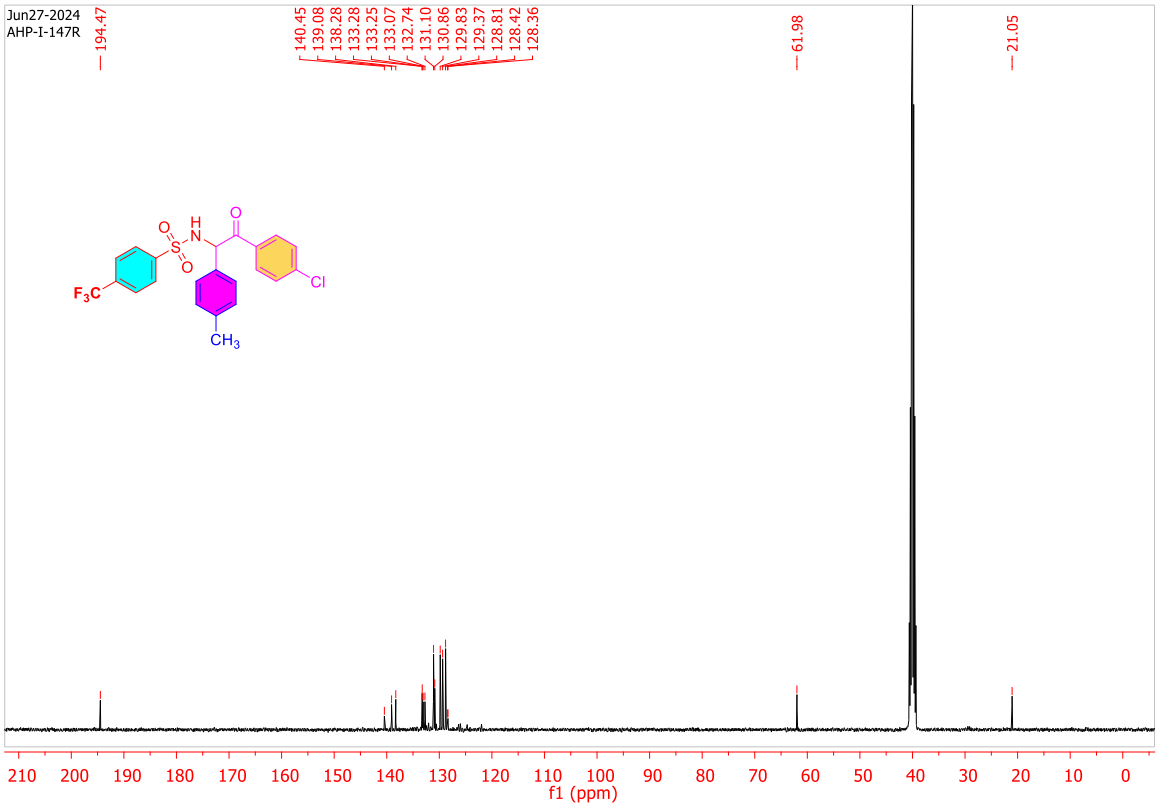
$^{13}C\{^{1}H\}$ NMR of 5n in $CDCl_3$



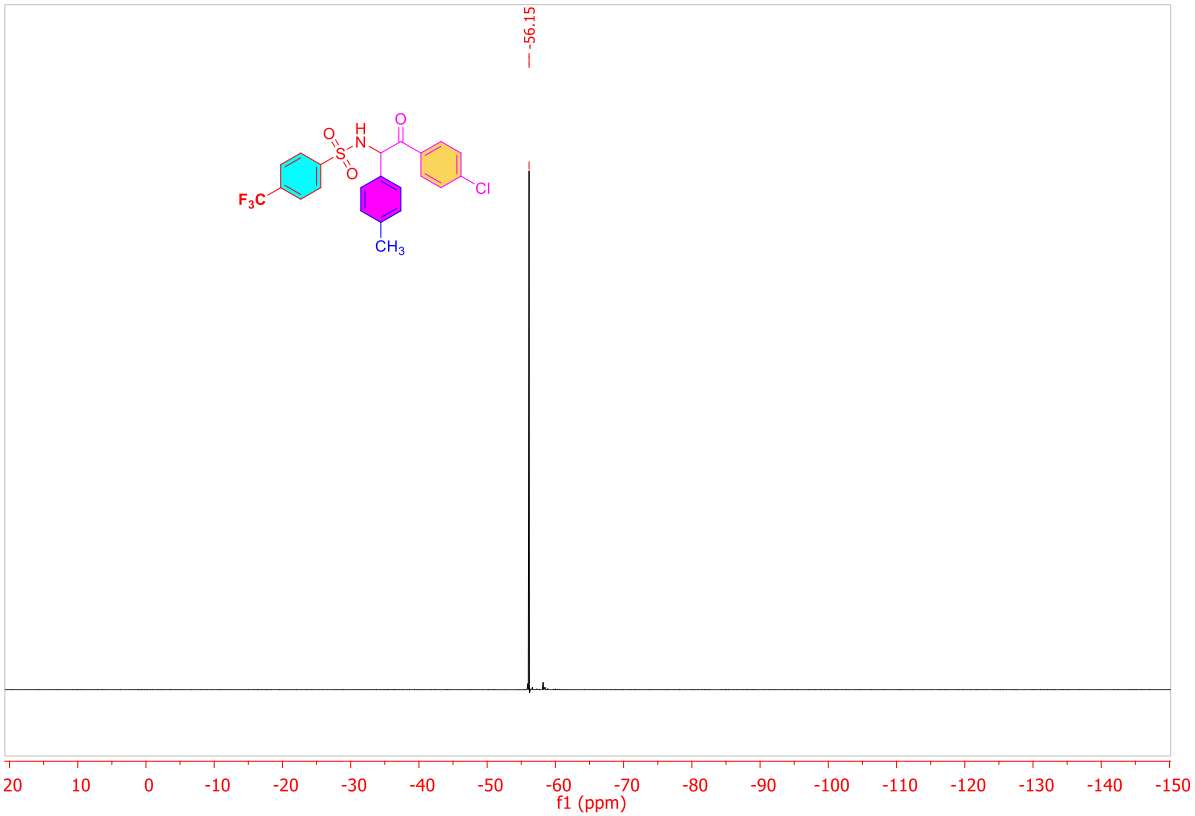
^{1}H NMR Of 5o in DMSO-d$_6$



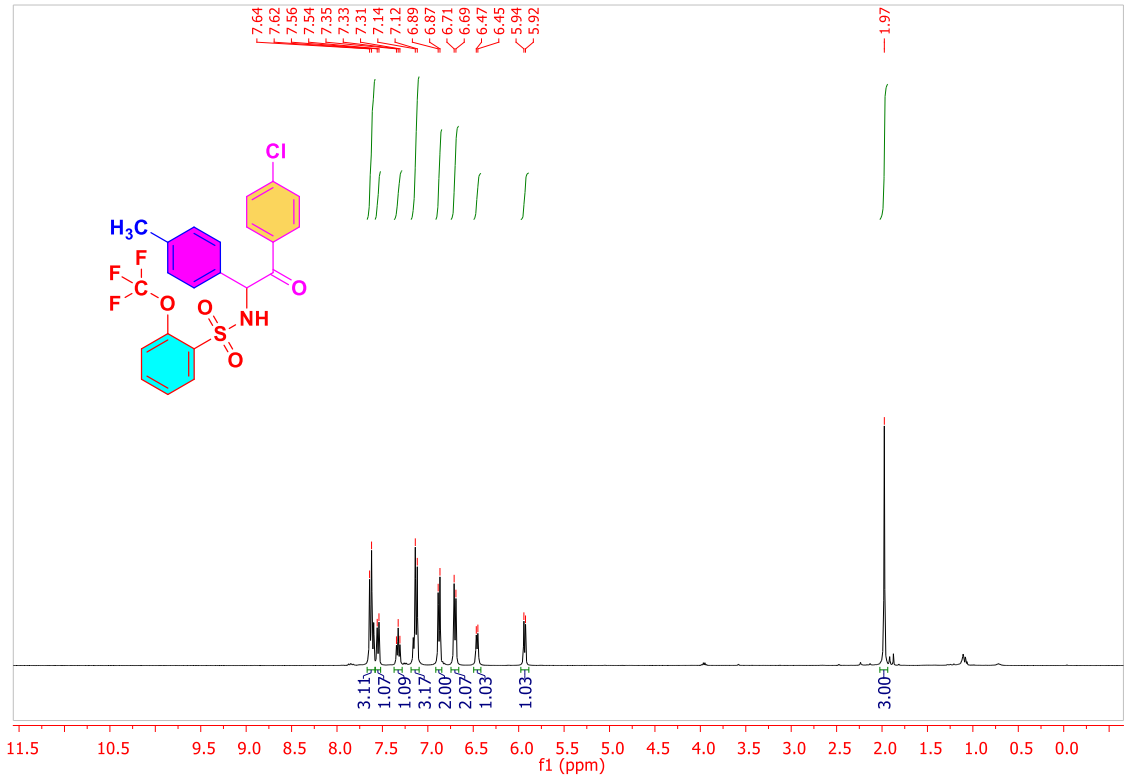
^{13}C NMR of 5o in DMSO-d$_6$



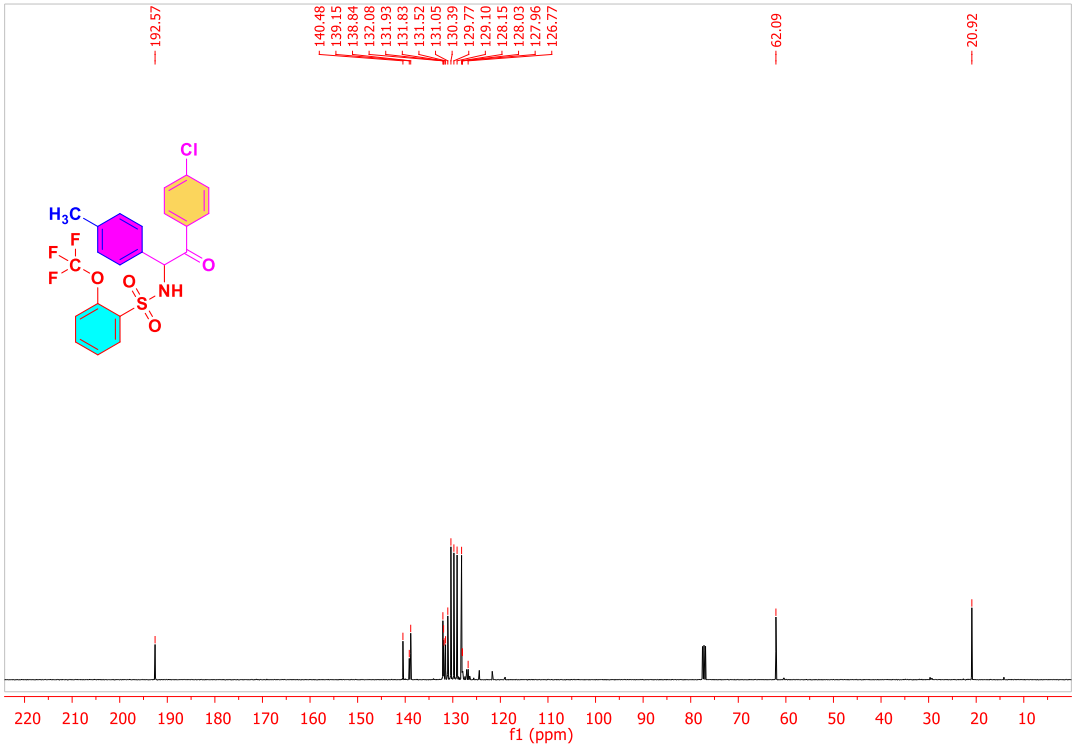
^{19}F NMR Of 5o in DMSO-d$_6$



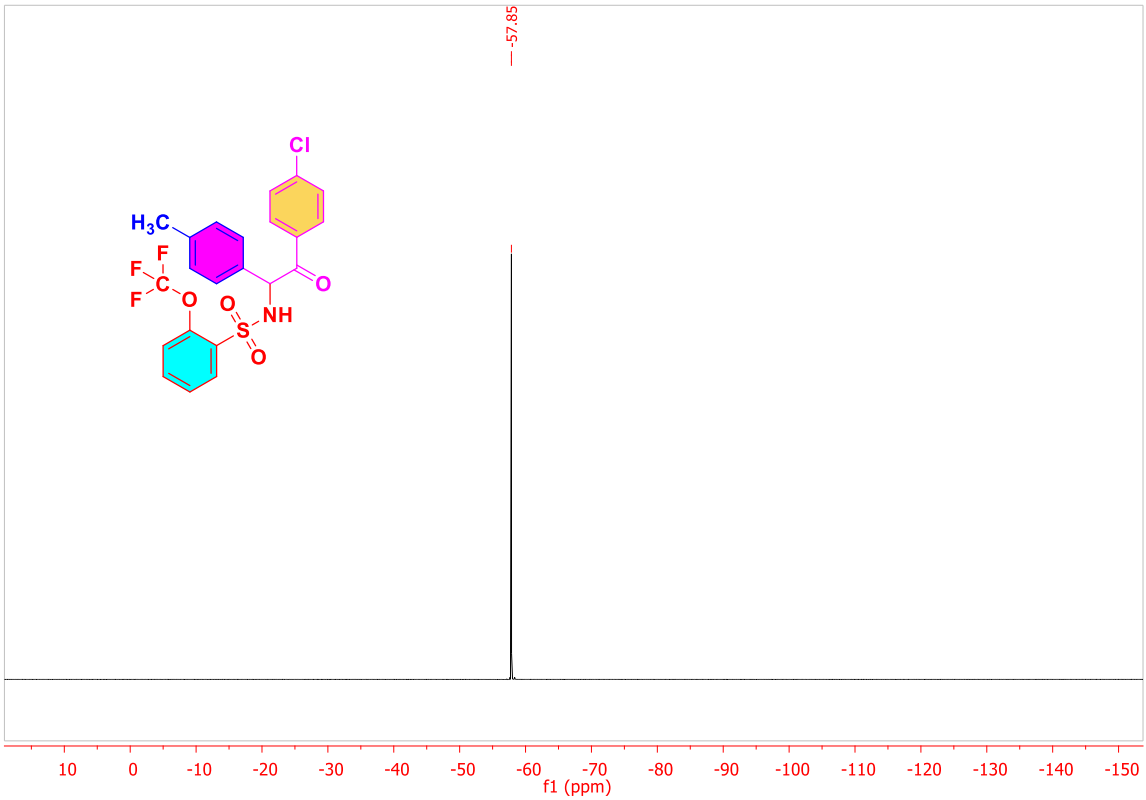
^{1}H NMR Of 5p in $CDCl_3$



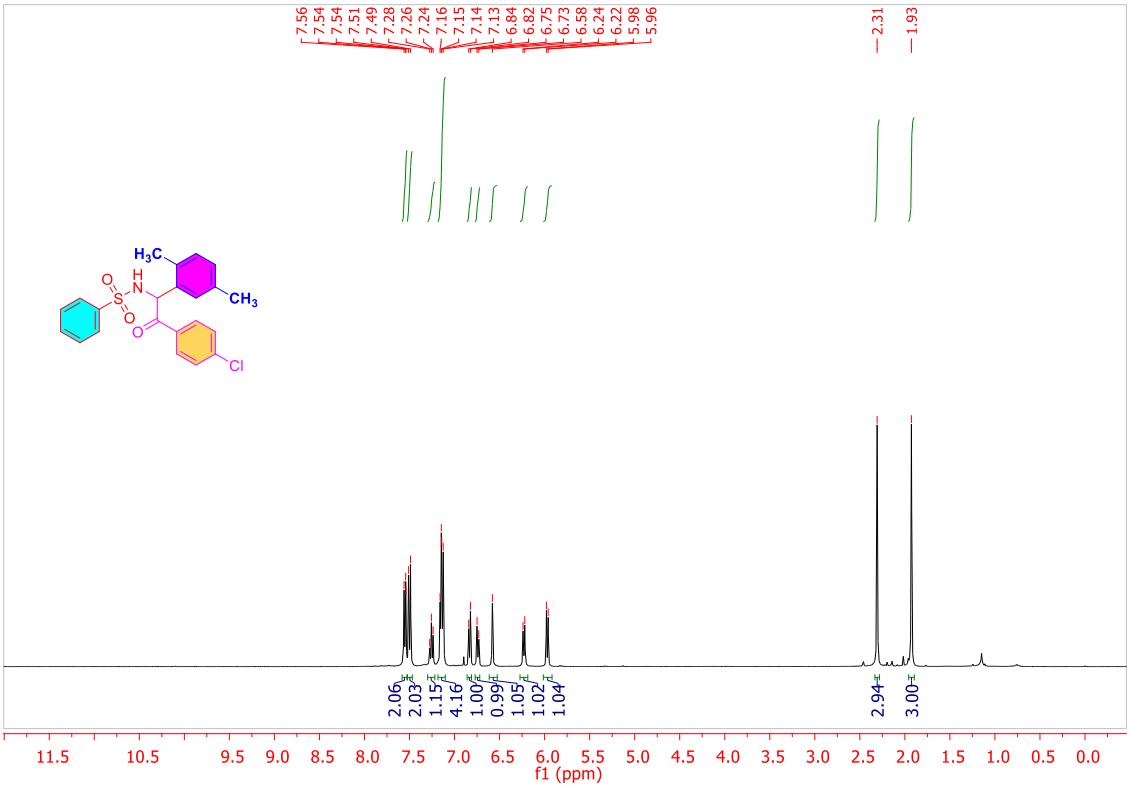
^{13}C{^{1}H} NMR of 5p in $CDCl_3$



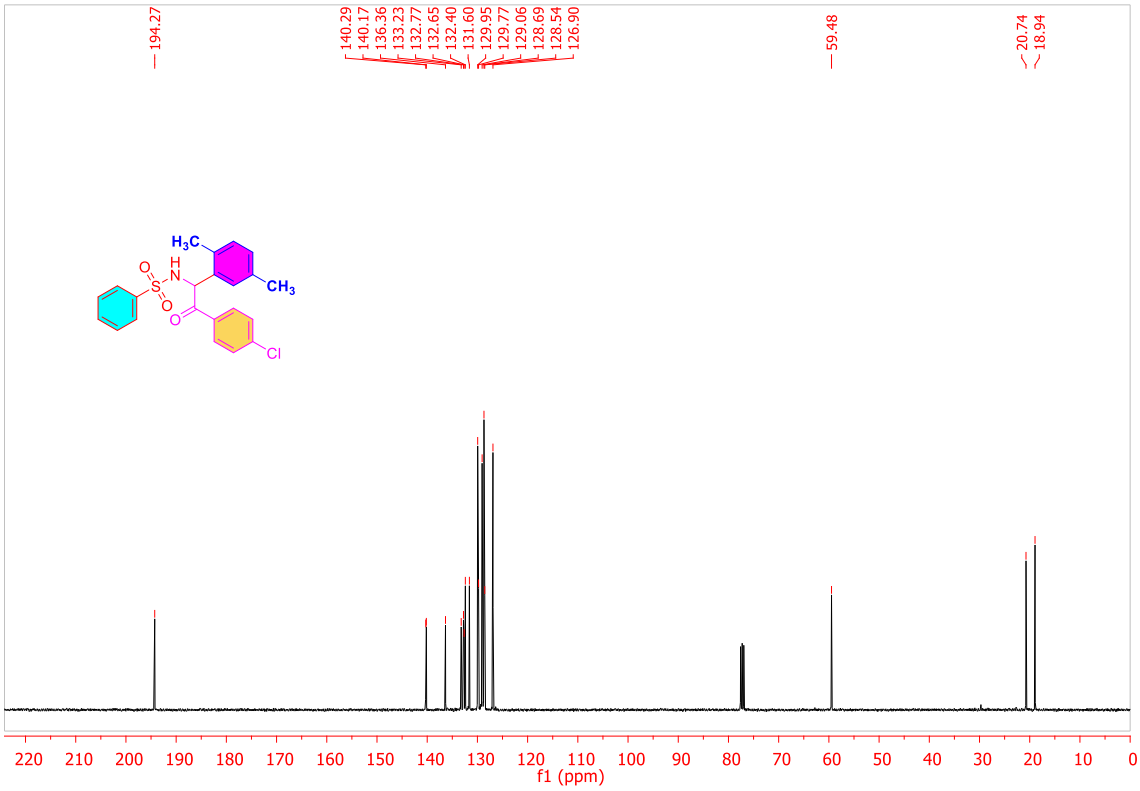
^{19}F NMR Of 5p in $CDCl_3$



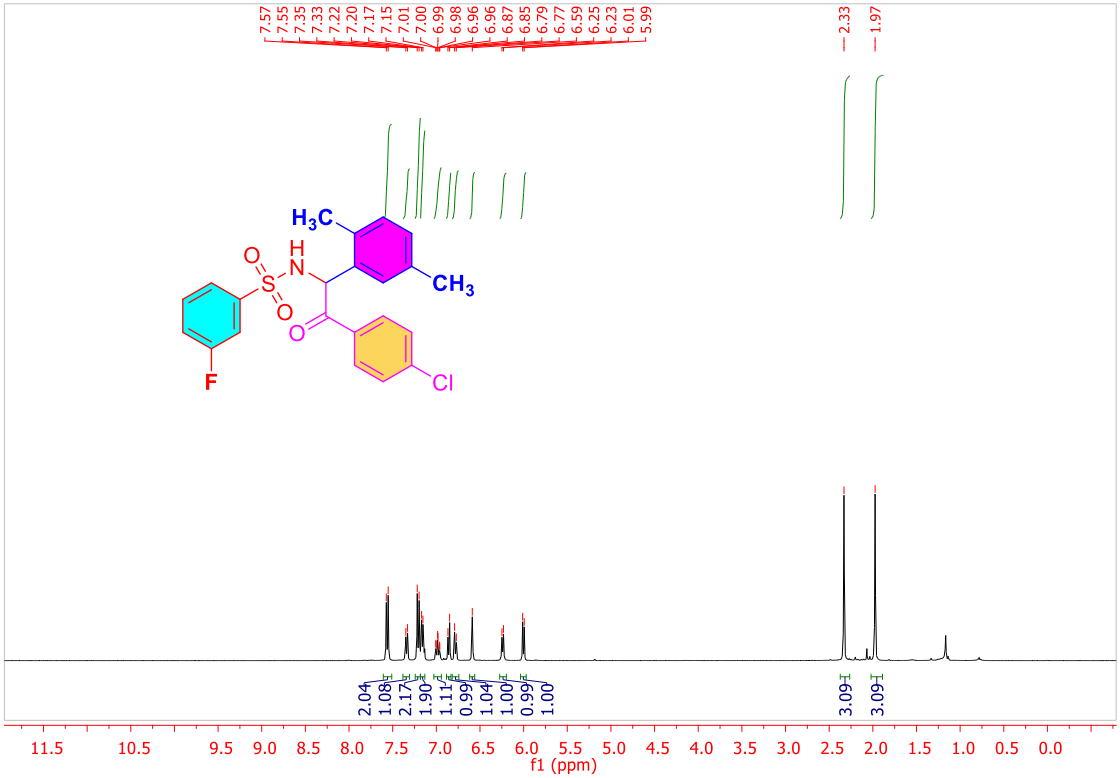
^{1}H NMR Of 5q in $CDCl_3$



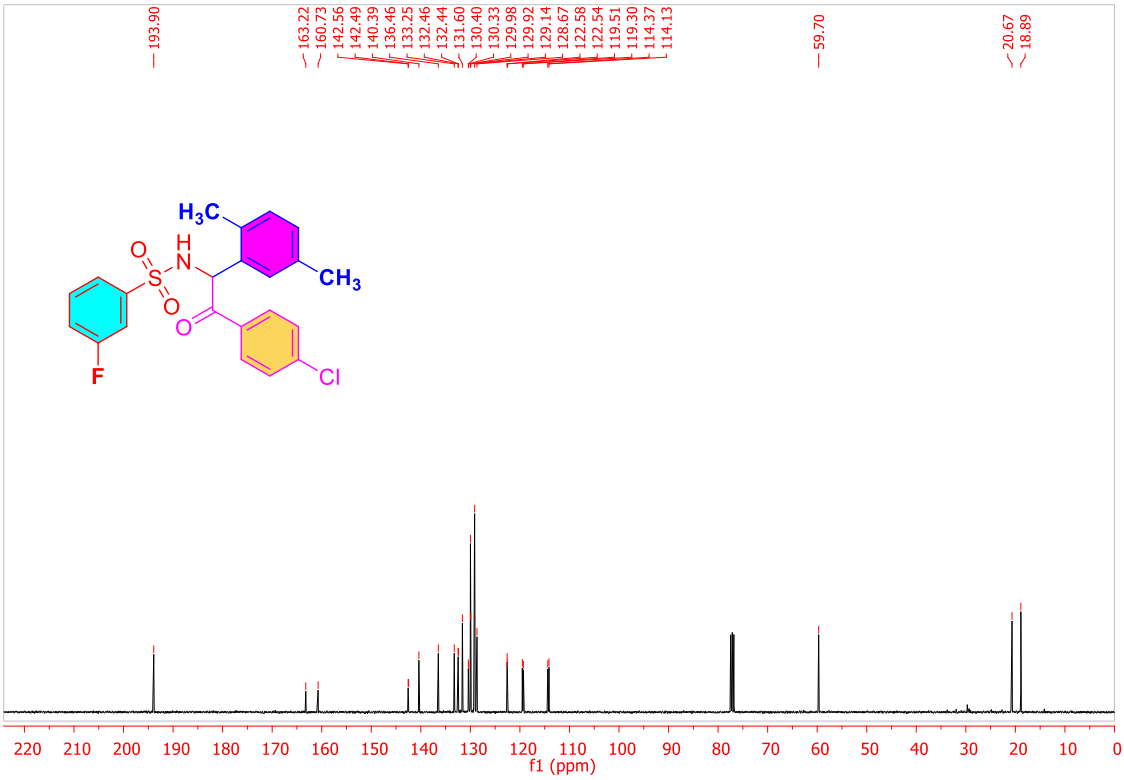
$^{13}C\{^{1}H\}$ NMR of 5q in $CDCl_3$



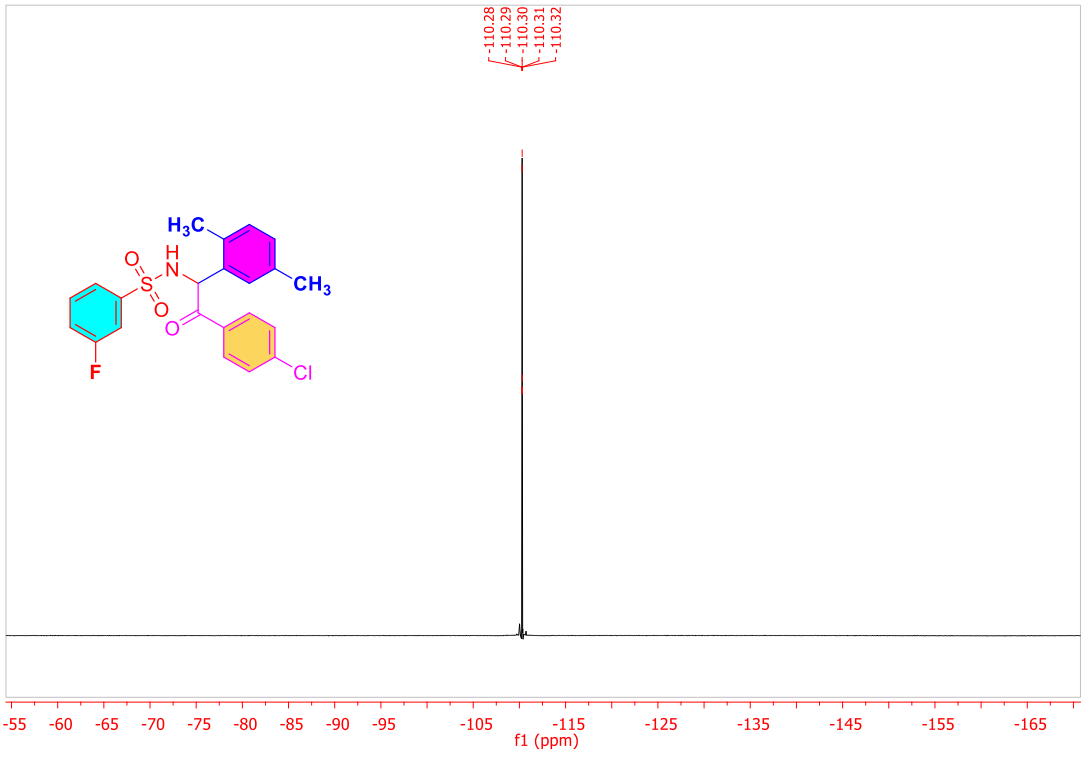
^{1}H NMR Of 5r in $CDCl_3$



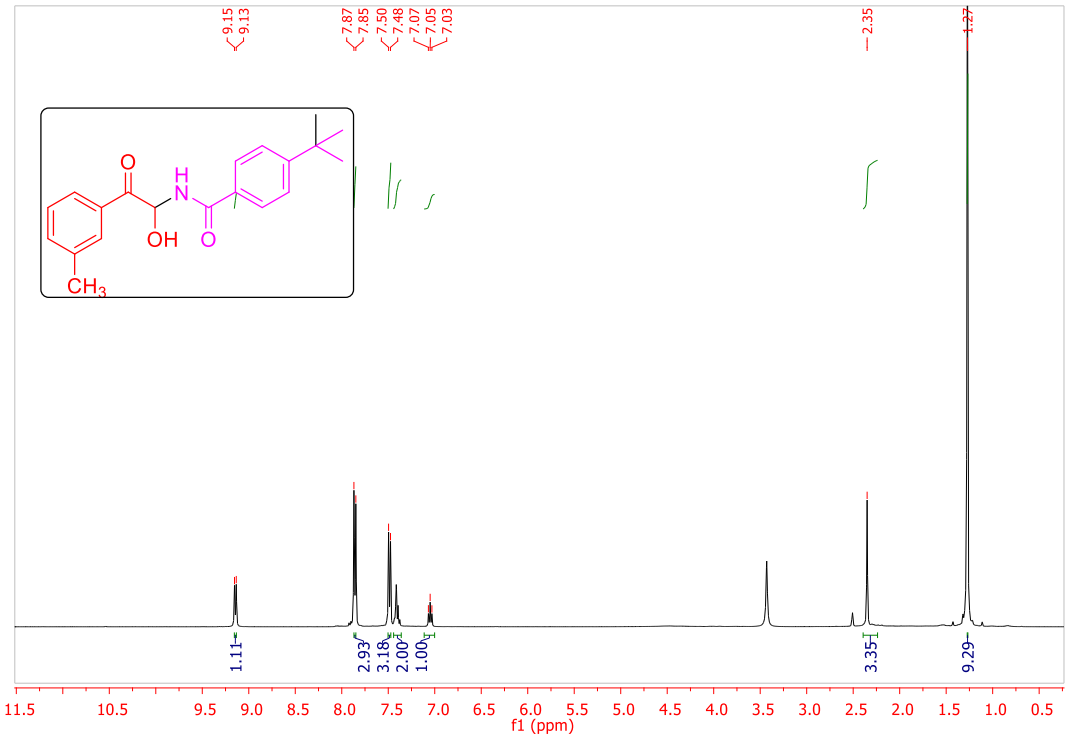
$^{13}C\{^{1}H\}$ NMR of 5r in $CDCl_3$



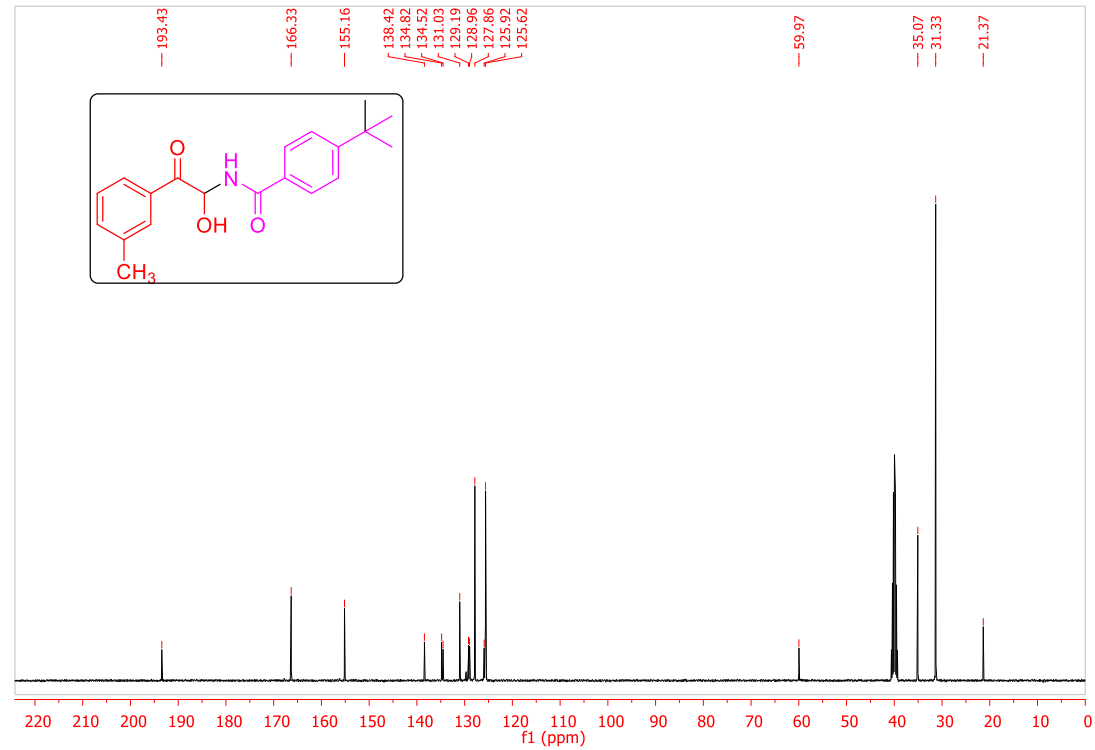
^{19}F NMR of 5r in $CDCl_3$



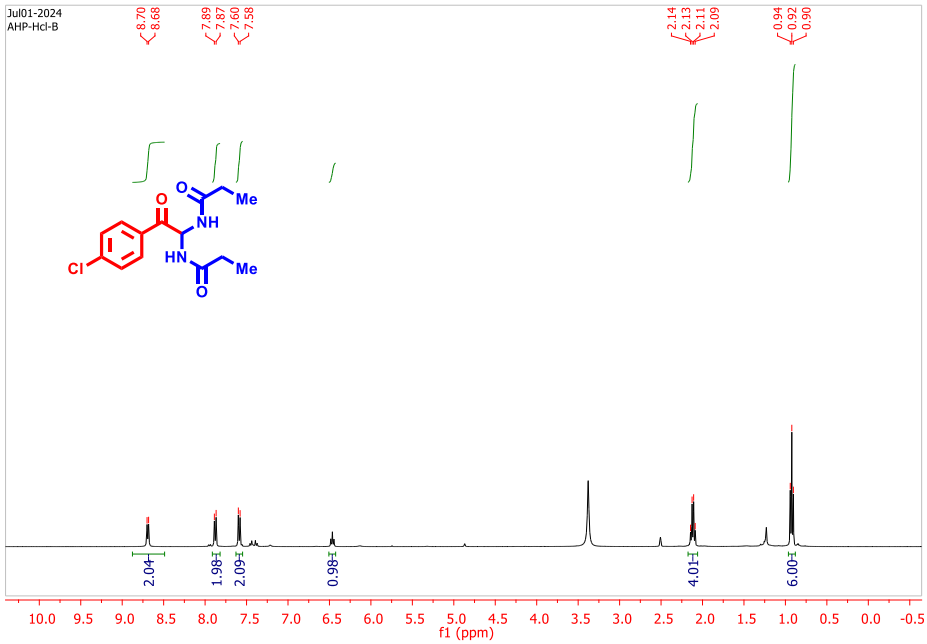
4-(*tert*-butyl)-*N*-(1-hydroxy-2-oxo-2-(m-tolyl)ethyl)benzamide 11 (Controld experiment**)**



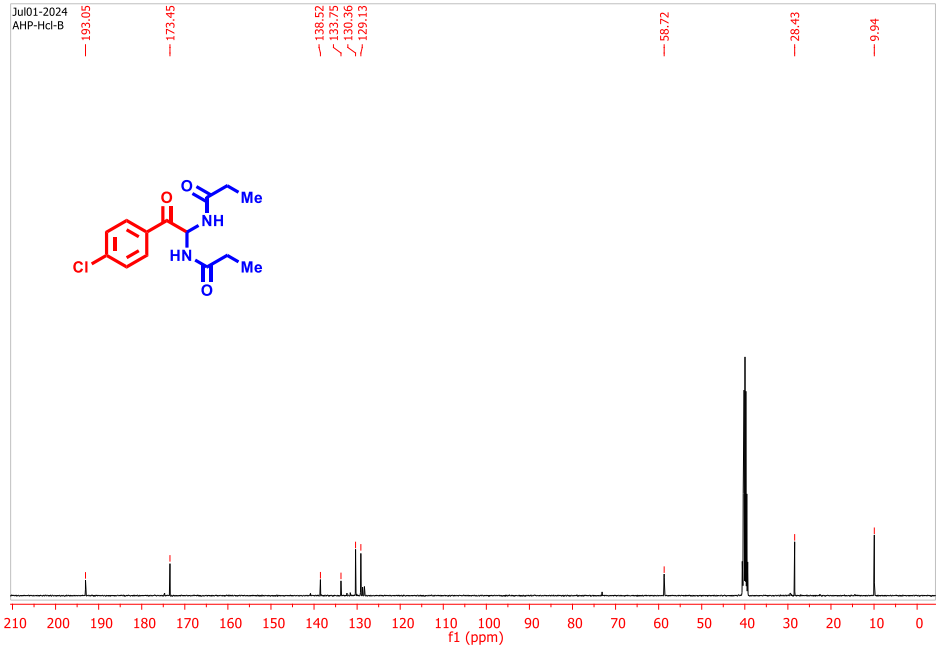
4-(*tert*-butyl)-*N*-(1-hydroxy-2-oxo-2-(m-tolyl)ethyl)benzamide (11) C^{13} NMR.



N,N'*-(2-(4-chlorophenyl)-2-oxoethane-1,1-diyl)dipropionamide (H1 NMR) *Di-Ritter product with HCl instead of BF_3-OEt_2

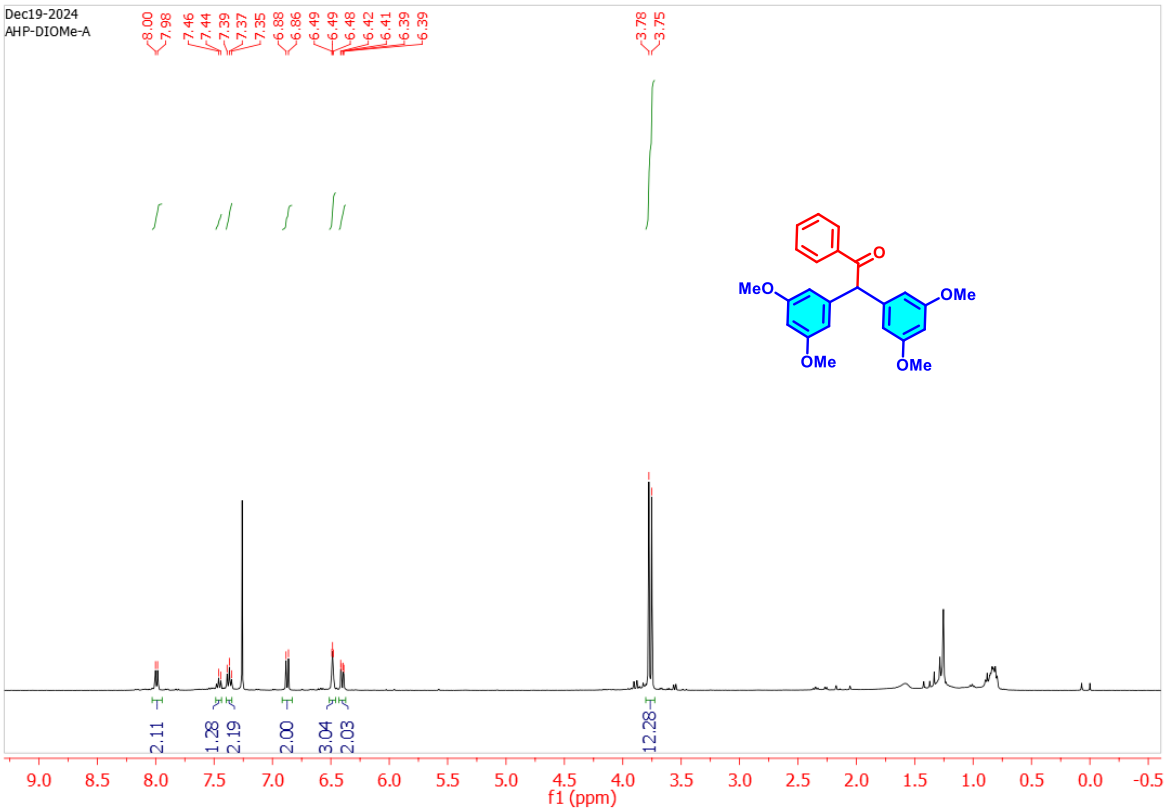


N,N'*-(2-(4-chlorophenyl)-2-oxoethane-1,1-diyl)dipropionamide (13C NMR) *Di-Ritter product with HCl instead of BF_3-OEt_2



Compounds **6,7, 8, 9, 10** were prepared following our reported procedure[10]

(H1-NMR) Of 2,2-bis(3,5-dimethoxyphenyl)-1-phenylethan-1-one (5s)



^{13}C-NMR) of 2,2-bis(3,5-dimethoxyphenyl)-1-phenylethan-1-one (5s)