Electronic Supplementary Information (ESI)

"Metal-Free Annulation of *β*-Acylamino Ketones: Facile Access to Spirooxazolines and Oxazolines *via* Oxidative C-O Bond Formation"

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1. General Information

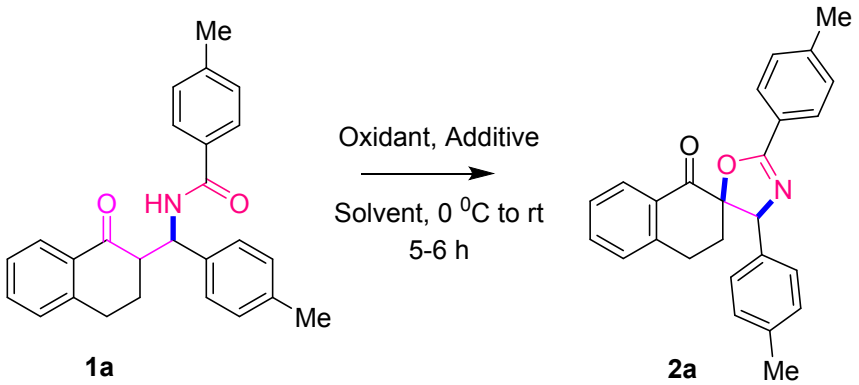
Solvents were purified and dried by standard procedures before use. Petroleum ether of boiling range 60–80 °C was used. Melting points are uncorrected. ^{1}H NMR and ^{13}C NMR spectra were recorded on AC-200, 400, 500 MHz NMR spectrometers. $CDCl_3$ was used as internal standard. HRMS data for all new compounds were recorded using Orbitrap mass analyzer associated with Accela 1250 pump. Purification was done using column chromatography (100-200 mesh). Unless otherwise specified, all reactions were carried out under nitrogen atmosphere in oven-dried round-bottom flasks. The reactions were monitored by TLC visualized by UV (254 nm) and/or with iodine. Coupling constants are given in hertz (Hz) and the classical abbreviations are used to describe the signal multiplicities. All chemicals are purchased from Sigma-Aldrich and used without further purification.

2. Optimization of Reaction Conditions

We started our investigation by taking *β*-acylamino ketone **1a** as a model substrate to determine the optimal reaction conditions for the cyclization reaction sequence to form **2a**. Several experiments were performed to investigate the effect of oxidant, additive and solvent on the reaction. As highlighted in Table **1**, the choice of oxidant, additive as well as solvent had a great influence on the reaction. Initially, treatment of *β*-acylamino ketone **1a** with 2.0 equiv of $PhI(OCOCF_3)$ and TFAA in dry 1,2-dichloroethane, delivered 68% of the desired spirooxazoline product **2a** (Table **1**, entry 1). While decreasing the loading of amount of additive, the desired product **2a** was isolated in lower yield (Table **1**, entry 2 & 3). Subsequently, the effect of amount of oxidant was also investigated (Table **1**, entry 4 & 5). Reducing the oxidant loading from 2 to 1.5 equiv slightly decreased the efficiency of the spirooxazoline product (Table **1**, entry 5). Next, we examined the influence of various additives on the cyclization reaction (Table **1**, entries 6-10). Notably, the $BF_3.OEt_2$ as an additive was found to be more effective and furnished the titled compound **2a** in 81% yield

(Table **1**, entry 8). After screening of oxidant and additives we moved our attention to find out compatible solvent for the intramolecular cyclization reaction leading to the synthesis of spirooxazoline product **2a**. A series of solvents were tested (Table **1**, entries 11-18). Unfortunately, the use of solvents such as Et_2O, MeOH, MeCN and CCl_4 didn't lead to the formation of desired compound **2a** (Table **1**, entries 13-16). Gratifyingly, 88% yield of **2a** was obtained in CH_2Cl_2 as a solvent (Table **1**, entry 17). Solvent switching from CH_2Cl_2 to ethyl acetate resulted in the lower yield of final compound **2a.**

Table 1 Optimization of reaction conditions for the synthesis spirooxazoline *via* iodine (III)-mediated annulation of *β*-amidoketones [a, b]



1a **2a**

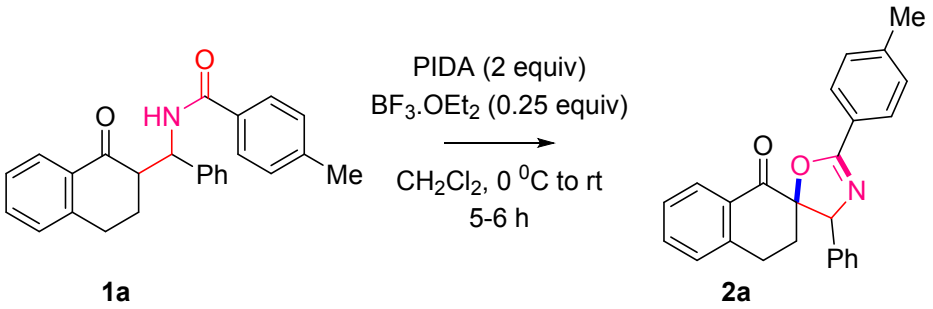
Entry	Oxidant (equiv)	Additive (equiv)	Solvent	Yield (%)[b]
1	PIFA (2)	TFAA (0.5)	DCE	68
2	PIFA (1.5)	TFAA (0.5)	DCE	53
3	PIFA (2)	TFAA (0.2)	DCE	47
4	PIDA (2)	TFAA (0.5)	DCE	72
5	PIDA (1.5)	TFAA (0.5)	DCE	60
6	PIDA (2)	$(CF_3SO_2)_2O$ (0.5)	DCE	74
7	PIDA (2)	$BF_3.Et_2O$ (0.5)	DCE	81
8	PIDA (2)	TFA (0.5)	DCE	60
9	PIDA (2)	PTSA (0.5)	DCE	40
10	PIDA (2)	TfOH (0.5)	DCE	n.d
11	PIDA (2)	$BF_3.Et_2O$ (0.5)	PhH	23
12	PIDA (2)	$BF_3.Et_2O$ (0.5)	PhMe	16
13	PIDA (2)	$BF_3.Et_2O$ (0.5)	Et_2O	n.d
14	PIDA (2)	$BF_3.Et_2O$ (0.5)	MeOH	n.d
15	PIDA (2)	$BF_3.Et_2O$ (0.5)	MeCN	n.d
16	PIDA (2)	$BF_3.Et_2O$ (0.5)	CCl_4	n.d
17	**PIDA (2)**	**$BF_3.Et_2O$ (0.25)**	CH_2Cl_2	**88**

18	PIDA (2)	$BF_3.Et_2O$ (0.5)	EtOAc	70
[a] Substrate **1a** (1.0 mmol), solvent (5 mL), 5-6 h. [b]Isolated yield after column chromatographic purification.				

After examining a series of oxidants, additives and solvents, PIDA (2.0 equiv) as the oxidant, $BF_3.Et_2O$ (0.25 equiv) as an additive and CH_2Cl_2 as the solvent was selected as the best reaction condition for the cyclization reaction, resulting in the 88% yield of **2a** (Table **1,** entry **17**). Attempts to decrease the amount of both oxidant and additive resulted in much lower yields of desired product **2a**.

3.0 Experimental Section

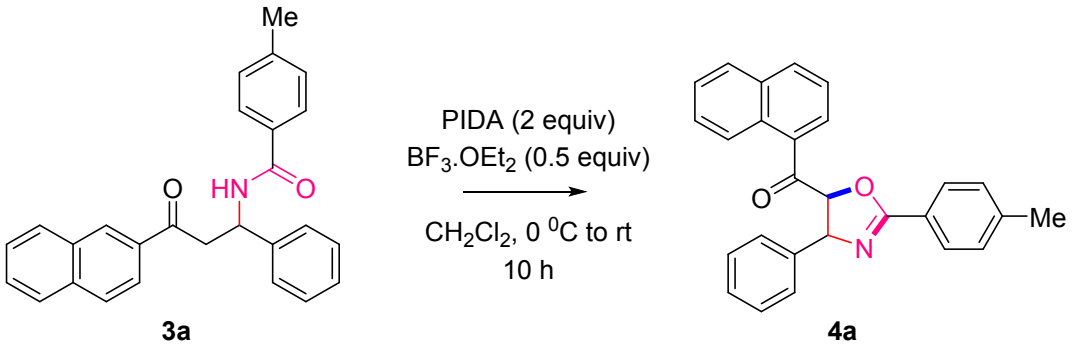
3.1 General procedure for the synthesis of spirooxazolines (examples 2a-2h):



1a **2a**

To a well-stirred solution of *β*-acylamino ketone **1a** (461 mg, 1.0 mmol) and PIDA (644 mg, 2.0 mmol) in dry CH_2Cl_2 (10 mL) was added $BF_3 \cdot Et_2O$ (0.030 mL, 0.25 mmol) at 0 °C. The reaction mixture was then stirred at room temprature until the completion of the reaction as indicated by TLC. The resulting mixture was poured into saturated aqueous NaCl (100 mL), neutralized with saturated aqueous $NaHCO_3$, and extracted with CH_2Cl_2 (3×20 mL). The combined organic phase was washed with water, dried over anhydrous Na_2SO_4, filtered, and evaporated in vacuo. The crude product was purified by flash chromatography (silica gel, petroleum ether/ethyl acetate = 80/20) to give **2a** as a yellow oil (403 mg, 88%).

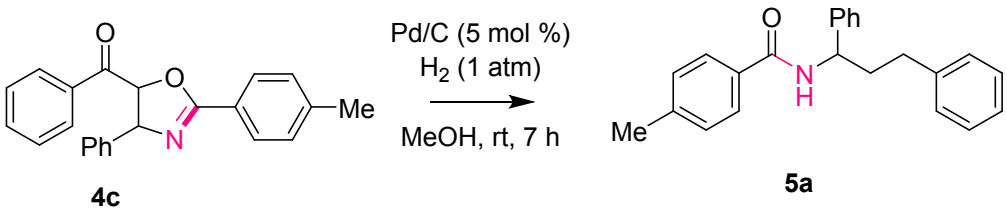
3.2 General procedure for the synthesis of oxazolines (examples 4a-4ze):



3a **4a**

To a well-stirred solution of *β*-acylamino ketone **3a** (393 mg, 1.0 mmol) and PIDA (644 mg, 2.0 mmol) in dry CH_2Cl_2 (10 mL) was added $BF_3{\cdot}Et_2O$ (0.060 mL, 0.5 mmol) at 0 °C. The reaction mixture was then stirred at room temperature until the completion of the reaction as indicated by TLC. The resulting mixture was poured into saturated aqueous NaCl (100 mL), neutralized with saturated aqueous $NaHCO_3$ and extracted with CH_2Cl_2 (3×20 mL). The combined organic phase was washed with water, dried over anhydrous Na_2SO_4, filtered, and evaporated in vacuo. The crude product was purified by flash chromatography (silica gel, petroleum ether/ethyl acetate = 80/20) to give **4a** as a white solid (289 mg, 74%).

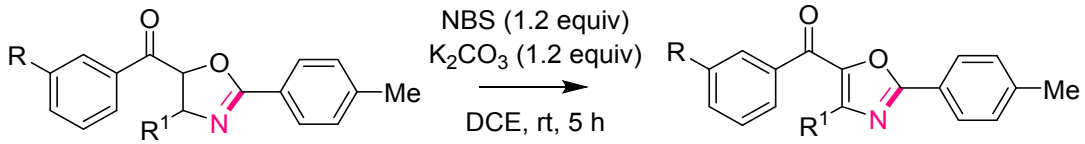
3.3 Transformation of Product 4c into 5a:



4c **5a**

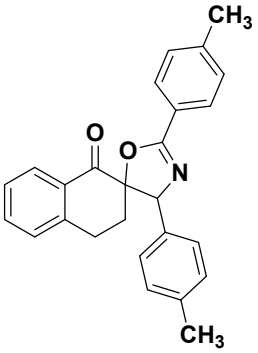
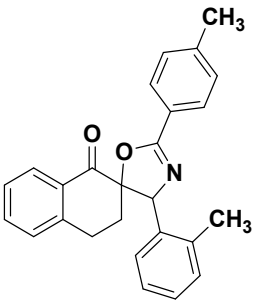
Procedure: Degassed methanol (4.0 ml) was added to the mixture of Pd/C (10 wt %) and oxazoline **4c** (1.0 mmol, 314 mg). After stirring under 1 atm pressure of hydrogen for 7 h at room temperature, the reaction mixture was filtered, and then evaporated under reduced pressure. The crude product was then purified by flash column chromatography to give hydrogenated product **5a** as a white solid (286 mg, 95%).

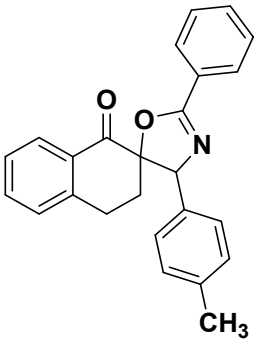
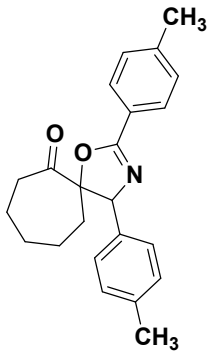
3.4 General procedure for the synthesis of oxazoles from oxazolines (examples 6a-6c):

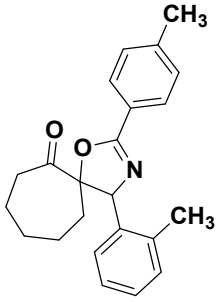
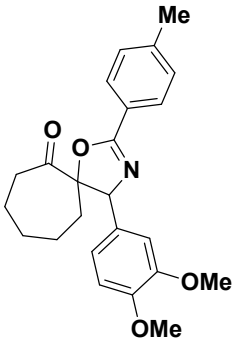
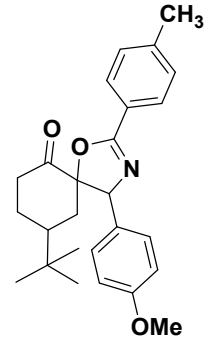


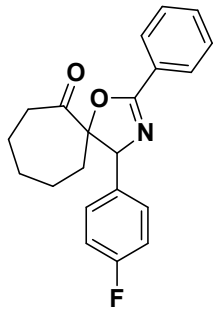
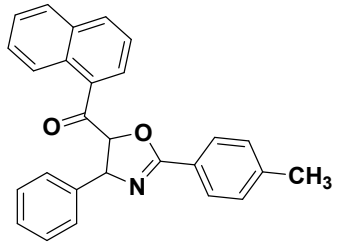
4 **6a-6c,** (76-86%)

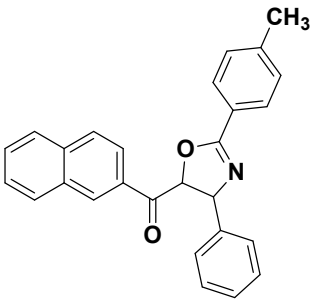
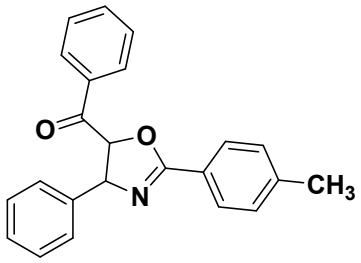
To a well-stirred solution of Oxazolines **4** (1.0 mmol) in dichloroethane (10 mL) was added NBS (1.2 mmol) and K_2CO_3 (1.2 mmol) at room temprature. The reaction mixture was then stirred at room temperature until the completion of the reaction as indicated by TLC. The mixture was then treated with saturated $Na_2S_2O_3$ (3×20 mL) and extracted with dichloromethane (3×20 mL) and then evaporated under reduced pressure. The combined organic phase was washed with water, dried over anhydrous Na_2SO_4, filtered, and evaporated in vacuo. The crude product was then purified by flash chromatography (silica gel, petroleum ether/ethyl acetate = 9:1) to give oxazoles **6a-6c** as a White solid.

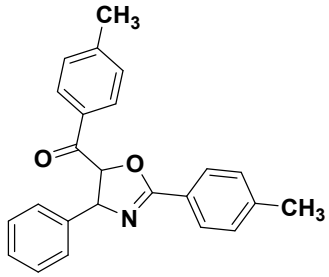
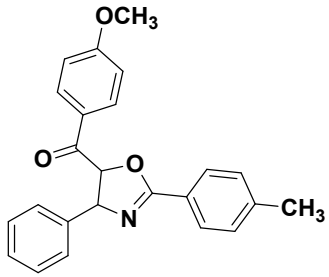
2',4'-di-p-tolyl-3,4-dihydro-1H,4'H-spiro[naphthalene-2,5'-oxazol]-1-one (2a)	
	**R***f*: 0.33 (Pet. ether/EtOAc = 80/20); **Yield:** 79 mg, 88%; Yellow oil; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.19 (1H, d, *J* = 7.6 Hz), 7.97 (2H, d, *J* = 8.0 Hz), 7.49 - 7.60 (1H, m), 7.38 - 7.43 (1H, m), 7.25 (2H, br. s.), 7.16 (5H, s), 5.98 (1H, s), 3.11 - 3.16 (1H, m), 2.71 (1H, dt, *J* = 17.0, 5.2 Hz), 2.44 (3H, s), 2.38 (3H, s), 2.13 - 2.18 (1H, m), 1.79 (1H, td, *J* = 9.3, 4.6 Hz); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 192.7, 162.5, 143.7, 142.0, 137.5, 134.7, 134.1, 130.9, 129.0, 128.7, 128.7, 128.5, 127.9, 126.9, 124.6, 87.2, 72.6, 30.1, 25.4, 21.6, 21.1; **HRMS** (ESI) calculated [M+H]+ for $C_{26}H_{24}O_2N$: 382.1805, found: 382.1802.
4'-(o-tolyl)-2'-(p-tolyl)-3,4-dihydro-1H,4'H-spiro[naphthalene-2,5'-oxazol]-1-one (2b)	
	**R***f*: 0.29 (Pet. ether/EtOAc = 80/20); **Yield:** 81 mg, 82%; Yellow oil; ^{1}H NMR (500 MHz, $CDCl_3$) δ: 7.51-7.57 (1H, m), 7.39 (1H, t, *J* = 7.4 Hz), 7.29-7.34 (1H, m), 7.16-7.26 (6H, m), 7.12 (1H, d, *J* = 6.9 Hz), 6.34 (1H, s), 3.14-3.28 (1H, m), 2.67 (1H, dt, *J* = 17.2, 4.6 Hz), 2.41 (3H, s), 2.13 (3H, s), 2.01 (1H, dt, *J* = 14.5, 4.6 Hz), 1.59 (1H, ddd, *J* = 14.7, 10.1, 5.0 Hz); **^{13}C NMR** (100 MHz, $CDCl_3$) δ: 192.3, 161.9, 143.9, 141.9, 136.6, 135.6, 134.2, 130.8, 130.2, 129.0, 128.9, 128.7, 128.4, 128.2, 127.6, 127.1, 126.0, 124.5, 86.4, 69.5, 30.7, 25.4, 21.6, 19.9; **HRMS** (ESI) calculated [M+H]+ for $C_{26}H_{24}O_2N$: 382.1802, found: 382.1786.
2'-phenyl-4'-(p-tolyl)-3,4-dihydro-1H,4'H-spiro[naphthalene-2,5'-oxazol]-1-one (2c)	
	R*f*: 0.35 (Pet. ether/EtOAc = 80/20); **Yield:** 78 mg, 79%;

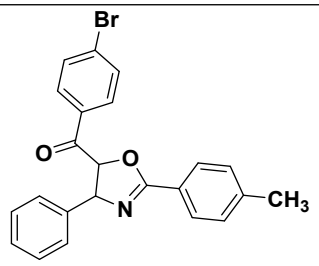
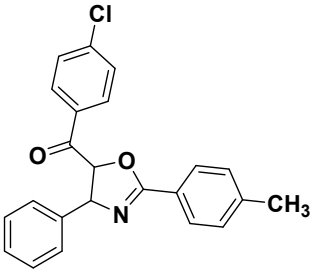
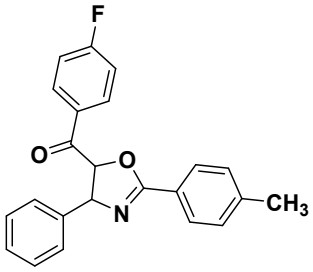
	Colorless oil; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.16 (1H, d, *J* = 7.25 Hz), 8.05 (2H, d, *J* = 7.25 Hz), 7.50 - 7.56 (2H, m), 7.43 (2H, t, *J* = 7.63 Hz), 7.37 - 7.40 (1H, m), 7.23 (1H, d, *J* = 7.63 Hz), 7.14 (4H, s), 5.97 (1H, s), 3.12 (1H, td, *J* = 8.58, 3.81 Hz), 2.67 - 2.72 (1H, m), 2.35 (4H, s), 2.13 - 2.17 (1H, m), 1.75 - 1.80 (1H, m); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 192.7, 162.4, 143.7, 137.6, 134.6, 134.1, 131.6, 130.8, 129.0, 128.8, 128.7, 128.5, 128.3, 127.9, 127.0, 87.3, 72.6, 30.2, 25.4, 21.2;**HRMS** (ESI) calculated [M+H]+ for $C_{25}H_{22}O_2N$: 368.1645, found: 368.1631.
2,4-di-p-tolyl-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2d)	
	**R*f***: 0.34 (Pet. ether/EtOAc = 80/20); **Yield:** 81 mg, 83%; Gummy oil; **^{1}H NMR** (500 MHz, $CDCl_3$**)** δ: 7.91 (d, *J* = 8.01 Hz, 2H), 7.19 (d, *J* = 8.01 Hz, 3H), 7.05 - 7.13 (m, 3H), 5.44 (s, 1H), 2.72 - 2.82 (m, 1H), 2.43 - 2.53 (m, 1H), 2.35 (s, 3H), 2.26 (s, 3H), 1.84 - 1.92 (m, 1H), 1.76 - 1.83 (m, 2H), 1.56 - 1.62 (m, 1H), 1.42 - 1.51 (m, 1H), 1.36 - 1.42 (m, 1H), 1.09 - 1.17 (m, 1H), 1.04 (ddd, *J* = 14.97, 11.73, 3.43 Hz, 1H); **^{13}C NMR** (126 MHz, $CDCl_3$**)** : 211.6, 163.3, 142.1, 137.4, 134.5, 129.1, 128.9, 128.4, 127.9, 124.7, 94.9, 75.0, 40.2, 33.3, 27.8, 25.2, 24.3, 21.6, 21.1; **HRMS** (ESI) calculated [M+H]+ for $C_{23}H_{26}O_2N$: 348.1958, found: 348.1961.
4-(o-tolyl)-2-(p-tolyl)-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2e)	
	R*f*: 0.36 (Pet. ether /EtOAc = 80/20); **Yield:** 83 mg, 85%; Colourless oil; **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.00 (d, *J* = 7.9 Hz, 2H), 7.30 (d, *J* = 7.9 Hz, 2H), 7.15-7.22 (m, 3H),

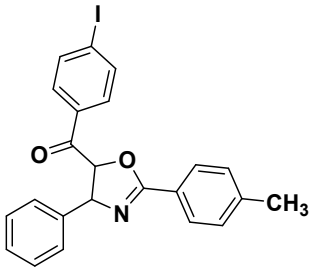
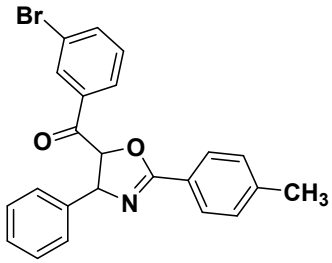
	6.99 (d, *J* = 6.7 Hz, 1H), 5.89 (s, 1H), 2.82-2.94 (m, 1H), 2.53-2.61 (m, 1H), 2.50 (s, 3H), 2.45 (s, 3H), 1.91-1.95 (m, 2H), 1.57-1.74 (m, 3H), 1.50 (dt, *J* = 15.0, 3.8 Hz, 1H), 1.20-1.28 (m, 1H), 1.12 (ddd, *J* = 15.0, 11.3, 4.3 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ: 212.4, 63.4, 142.2, 136.0, 135.6, 130.5, 129.2, 128.3, 128.1, 127.6, 125.9, 124.6, 95.1, 71.5, 40.1, 32.5, 27.4, 25.4, 23.9, 21.6, 19.6; **HRMS** (ESI) calculated [M+H]+ for $C_{23}H_{26}O_2N$: 348.1958, found: 348.1942.
4-(3,4-dimethoxyphenyl)-2-(p-tolyl)-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2f)	
	**R***f*: 0.35 (Pet. ether /EtOAc = 80/20); **Yield:** 84 mg, 86%; Gummy oil; **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 7.97 (d, *J* = 7.9 Hz, 2H), 7.27-7.30 (m, 2H), 7.25 (s, 1H), 6.59 (s, 1H), 6.63 (s, 1H), 3.91 (s, 3H), 3.84 (s, 3H), 2.85-2.95 (m, 1H), 2.48-2.56 (m, 1H), 2.43 (s, 3H), 1.80-1.89 (m, 4H), 1.42-1.54 (m, 2H), 1.25-1.35 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ: 215.3 (s), 148.8, 145.9, 143.6, 141.4, 130.2, 129.3, 129.2, 129.0, 127.4, 111.0, 108.9, 99.8, 76.7, 60.5, 56.5, 56.3, 56.0, 44.5, 30.2, 28.7, 25.4, 24.9, 21.5; **HRMS** (ESI) calculated [M+H]+ for $C_{24}H_{28}O_4N$: 394.2013, found: 394.2017.
9-(tert-butyl)-4-(4-methoxyphenyl)-2-(p-tolyl)-1-oxa-3-azaspiro[4.5]dec-2-en-6-one (2g)	
	**R***f*: 0.35 (Pet. ether /EtOAc = 80/20); **Yield:** 79 mg, 81%; Yellow oil; **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 7.94 (d, *J* = 8.2 Hz, 2H), 7.28 (s, 1H), 7.26 (s, 1H), 7.11-7.19 (m, 2H), 6.82-6.88 (m, 2H), 6.04 (s, 1H), 3.81 (s, 3H), 2.99 (td, *J* = 13.5, 6.0 Hz, 1H), 2.56 (dt, *J* = 12.9, 3.4 Hz, 1H), 2.43 (s, 3H), 2.13-2.17 (m, 1H), 1.85-1.96 (m, 2H), 1.64-1.74 (m, 2H),

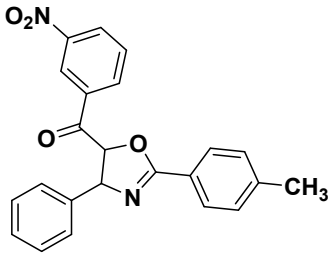
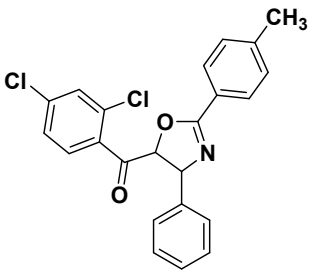
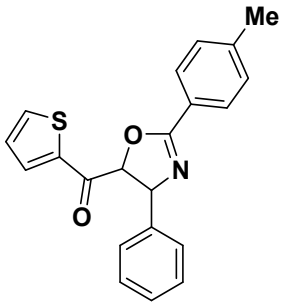
	0.75 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ: 206.9, 159.0, 129.1, 129.0, 128.7, 128.3, 115.4, 113.6, 90.1, 69.1, 55.2, 42.9, 38.9, 37.1, 32.1, 29.7, 28.4, 27.4, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{26}H_{32}O_3N$: 406.2377, found: 406.2380.
4-(4-fluorophenyl)-2-phenyl-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2h)	
	**R*f***: 0.45 (Pet. ether /EtOAc = 80/20); **Yield:** 50 mg, 65%; colourless oil; ^{1}H NMR (500 MHz, $CDCl_3$) δ: 8.05-8.13 (2H, m), 7.52-7.61 (1H, m), 7.46-7.52 (2H, m), 7.28-7.34 (2H, m), 7.04 (2H, t, *J* = 8.6 Hz), 5.62 (1H, s), 2.92 (1H, dt, *J* = 13.2, 5.2 Hz), 2.52-2.60 (1H, m), 1.93-1.99 (2H, m), 1.67-1.72 (1H, m), 1.55-1.64 (2H, m), 1.42 (1H, dt, *J* = 15.1, 4.1 Hz), 1.18-1.26 (1H, m), 1.06 (1H, ddd, *J* = 15.3, 11.6, 4.0 Hz); ^{13}C NMR (125 MHz, $CDCl_3$) δ: 211.5, 163.1, 133.4, 131.9, 129.7-129.6 (d, *J* = 7.6 Hz), 128.6, 128.5, 127.5, 115.3-115.2 (d, *J* = 21Hz), 95.1, 74.6, 40.3, 33.6, 27.5, 25.3, 23.8; LCMS (ES+) m/z = 338.1 ($[M + H]^+$, tr = 1.51 min).
Naphthalen-1-yl(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4a)	
	**R*f***: 0.21 (Pet. ether /EtOAc = 80/20); **Yield:** 73 mg, 74%; White solid; **mp:** 141°C; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.68 (1H, d, *J* = 8.5 Hz), 8.02 (1H, d, *J* = 7.9 Hz), 7.95 (2H, d, *J* = 7.9 Hz), 7.88 (1H, d, *J* = 7.9 Hz), 7.79 (1H, d, *J* = 7.3 Hz), 7.49-7.65 (2H, m), 7.43 (1H, t, *J* = 7.6 Hz), 7.26-7.35 (3H, m), 7.23 (2H, d, *J* = 7.9 Hz), 7.19 (2H, d, *J* = 6.7 Hz), 5.72 (1H, d, *J* = 6.1 Hz), 5.51 (1H, d, *J* = 6.1 Hz), 2.39 (3H, s); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 198.4, 164.2, 142.3, 141.3, 133.9, 133.7, 132.0, 130.8, 129.1, 128.9, 128.8, 128.6,

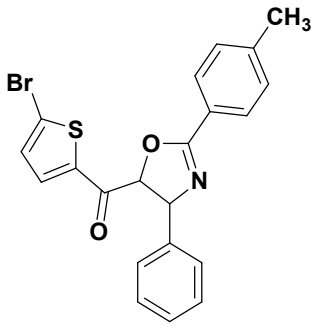
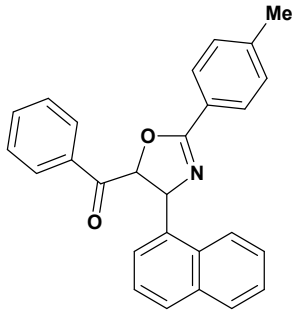
	128.5, 128.4, 127.9, 126.7, 126.7, 125.5, 124.1, 124.0, 88.01, 73.8, 21.5; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{27}H_{22}NO_2$: 392.1645, found: 392.1650.
Naphthalen-2-yl(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4b)	
	**R***f*: 0.23 (Pet. ether /EtOAc = 80/20); **Yield:** 68 mg, 70%; White solid; **mp:** 141°C; ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.33 (1H, s), 7.85-8.03 (5H, m), 7.80 (1H, d, *J* = 8.1 Hz), 7.50-7.67 (2H, m), 7.36 (4H, d, *J* = 4.0 Hz), 7.24 (3H, d, *J* = 4.2 Hz), 5.80 (1H, d, *J* = 6.8 Hz), 5.53 (1H, d, *J* = 6.8 Hz), 2.42 (3H, s); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 194.3, 164.0, 142.3, 141.2, 135.9, 132.3, 131.4, 131.3, 129.6, 129.1, 129.0, 128.9, 128.7, 128.2, 127.8, 127.2, 127.0, 124.2, 124.1, 96.1, 87.0, 73.9, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{27}H_{22}NO_2$: 392.1645, found: 392.1645.
Phenyl(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4c)	
	**R***f***:** 0.19 (Pet. ether /EtOAc = 80/20); **Yield:** 73 mg, 73%; White solid; **mp:** 140 °C; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.98 (2 H, d, *J* = 8.4 Hz), 7.93 (2 H, m, *J* = 7.2 Hz), 7.61 (1 H, t, *J* = 7.4 Hz), 7.47 (2H, t, *J* = 7.8 Hz), 7.38 (2H, m), 7.32 (3 H, m), 7.25 (2H, d, *J* = 8.0 Hz), 5.67 (1H, d, *J* = 6.9 Hz), 5.50 (1H, d, *J* = 6.5 Hz), 2.41 (3H, s); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 194.5, 163.8, 142.2, 141.1, 134.1, 133.9, 129.1, 129.0 , 128.9, 128.7, 128.6 , 128.0 , 127.0 , 124.0, 86.6, 73.5 ,21.5; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{20}NO_2$: 342.1489, found: 342.1489.
(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(p-tolyl)methanone (4d)	
	R*f*: 0.22 (Pet. ether /EtOAc = 80/20); **Yield:** 76 mg, 77%;

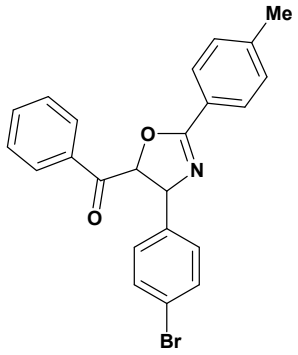
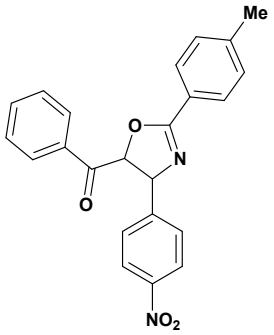
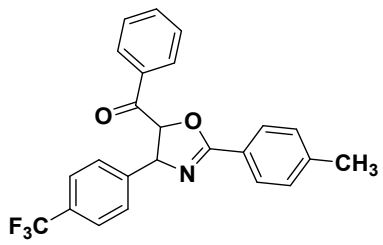
	White solid; **mp:** 101 °C; ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.97 (2H, d, *J* = 8.2 Hz), 7.72-7.87 (2H, m), 7.35-7.42 (1H, m), 7.30-7.35 (3H, m), 7.24-7.30 (3H, m), 7.20-7.24 (2H, m), 5.64 (1H, d, *J* = 6.6 Hz), 5.47 (1H, d, *J* = 6.6 Hz), 2.41 (3H, s), 2.40 (3H, s); ^{13}C NMR (50 MHz, $CDCl_3$) δ: 194.1, 163.9, 144.9, 142.2, 141.2, 131.6, 129.5, 129.2, 129.1, 128.9, 128.8, 128.0, 127.0, 124.1, 86.6, 73.6, 21.7, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{24}H_{22}NO_2$: 356.1645, found: 356.1645.
(4-methoxyphenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4e)	
	**R***f*: 0.21 (Pet. ether /EtOAc = 80/20); **Yield:** 73 mg, 72%; White solid; **mp:** 141°C; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.97 (2H, m, *J* = 8.01 Hz), 7.91 (2H, m, *J* = 8.77 Hz), 7.37 (2H, m), 7.32 (3H, m), 7.25 (2H, d, *J* = 8.01 Hz), 6.93 (2H, m, *J* = 9.16 Hz), 5.62 (1H, d, *J* = 6.87 Hz), 5.50 (1H, d, *J* = 6.87 Hz), 3.87 (3H, s), 2.41 (3 H, s); **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 192.9, 164.2, 142.3, 141.3, 131.4, 129.1, 128.9, 128.7, 128.0, 127.1, 127.0, 124.1, 114.0, 86.5, 73.6, 55.5, 21.6: **HRMS** (ESI) calculated $[M+H]^+$ for $C_{24}H_{22}NO_3$: 372.1594, found: 372.1594.
(4-bromophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4f)	
	R*f*: 0.24 (Pet. ether /EtOAc = 80/20); **Yield:** 79 mg, 80%; White solid; **mp:** 110 °C**; ^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.95 (2H, d, *J* = 8.01 Hz), 7.80 (2H, m, *J* = 8.39 Hz), 7.61 (2H, m, *J* = 8.77 Hz), 7.38 (2H, m), 7.32 (3H, m), 7.25 (2H, d, *J* = 8.01 Hz), 5.59 (1H, d, *J* = 6.87 Hz), 5.51 (1H, d, *J* = 6.49 Hz), 2.41 (3H, s); **^{13}C NMR** (126 MHz, $CDCl_3$) δ:

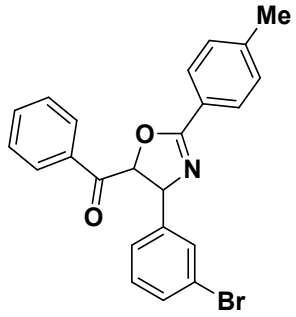
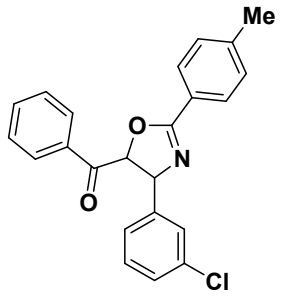
	193.8, 163.7, 142.4, 141.0, 132.9, 132.1, 130.5, 129.4, 129.2, 129.0, 128.6, 128.2, 127.0, 123.9, 86.7, 73.4, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Br$: 420.0594, found: 420.0598.
(4-chlorophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4g)	
	**R***f*: 0.21 (Pet. ether /EtOAc = 80/20); **Yield:** 77 mg, 78%; White solid; **mp:** 146 °C; **^{1}H NMR (**500 MHz**,** $CDCl_3$**)** δ: 7.96 (2H, d, *J* = 8.01 Hz), 7.88 (2H, m, *J* = 8.39 Hz), 7.46 (2H, m, *J* = 8.39 Hz), 7.39 (2H, m), 7.35 (1H, d, *J* = 6.87 Hz), 7.31 (2H, d, *J* = 6.87 Hz), 7.26 (2H, m), 5.61 (1H, d, *J* = 6.49 Hz), 5.51 (1H, d, *J* = 6.49 Hz), 2.42 (3H, s); **^{13}C NMR (**126 MHz**,** $CDCl_3$**)** δ: 193.5, 163.8, 142.5, 141.0, 140.6, 132.5, 130.5, 129.2, 129.2, 129.0, 128.6, 128.2, 127.0, 123.9, 86.7, 73.4, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Cl$: 376.1099, found: 376.1100.
(4-fluorophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4h)	
	**R***f*: 0.25 (Pet. ether /EtOAc = 80/20); **Yield:** 80 mg, 81%; White solid; **mp:** 128°C; ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.97-8.04 (2H, m), 7.91-7.97 (2H, m), 7.33-7.47 (3H, m), 7.28-7.33 (2H, m), 7.22-7.28 (2H, m), 7.08-7.19 (2H, m), 5.60 (1H, d, *J* = 6.8 Hz), 5.52 (1H, d, *J* = 6.7 Hz), 2.41 (3H, s); ^{13}C NMR (50 MHz, $CDCl_3$) δ: 193.0, 168.7, 163.7, 142.3, 141.1, 131.9, 131.7, 130.7, 130.6, 129.1, 128.9, 128.6, 128.1, 127.0, 124.0, 116.2, 115.8, 86.7, 73.4, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2F$: 360.1394, found:

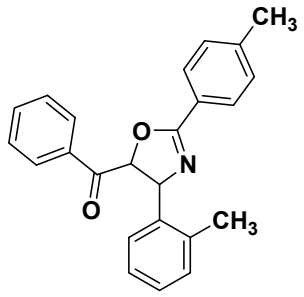
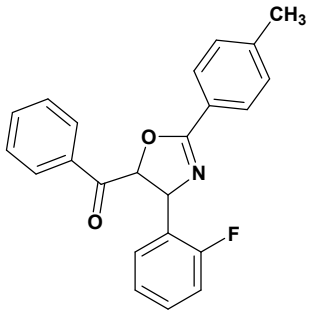
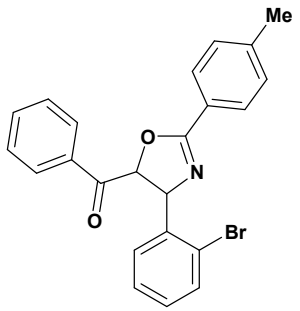
	360.1393.
(4-iodophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4i)	
	**R***f*: 0.30 (Pet. ether /EtOAc = 80/20); **Yield:** 70 mg, 72%; White solid; **mp:** 140 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 7.95 (2H, d, *J* = 7.3 Hz), 7.85 (2H, d, *J* = 7.3 Hz), 7.64 (2H, d, *J* = 7.3 Hz), 7.37 (3H, m), 7.30 (2H, m), 7.25 (2H, d, *J* = 7.3 Hz), 5.58 (1H, d, *J* = 6.1 Hz), 5.51 (1H, d, *J* = 6.1 Hz), 2.42 (3H, s); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 194.1, 163.8, 142.5, 141.0, 138.1, 133.4, 130.4, 129.2, 129.0, 128.7, 128.2, 127.0, 123.9, 102.4, 86.7, 73.4, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2I$: 468.0455, found: 468.0457.
(3-bromophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4j)	
	**R***f*: 0.22 (Pet. ether /EtOAc = 80/20); **Yield:** 82 mg, 84%; White solid; **mp:** 146 °C; ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.07 (1H, t, *J* = 1.8 Hz), 7.96 (2H, d, *J* = 8.2 Hz), 7.83 (1H, dt, *J* = 7.8, 1.4 Hz), 7.72 (1H, ddd, *J* = 8.0, 1.9, 1.0 Hz), 7.39 (1H, d, *J* = 1.3 Hz), 7.34 (4H, ddd, *J* = 5.8, 4.1, 2.2 Hz), 7.21-7.30 (3H, m), 5.58 (1H, d, *J* = 6.6 Hz), 5.50 (1H, d, *J* = 6.7 Hz), 2.41 (3H, s); ^{13}C NMR (50 MHz, $CDCl_3$) δ: 193.4, 163.7, 142.4, 140.9, 136.7, 135.8, 132.1, 130.3, 129.1, 129.0, 128.6, 128.2, 127.5, 126.9, 123.9, 123.1, 86.8, 73.5, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Br$: 420.0594, found: 420.0601.
(3-nitrophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4k)	
	R*f*: 0.26 (Pet. ether /EtOAc = 80/20); **Yield:** 78 mg, 79%; White solid; **mp:** 152 °C; ; **^{1}H NMR** (200 MHz, $CDCl_3$) δ:

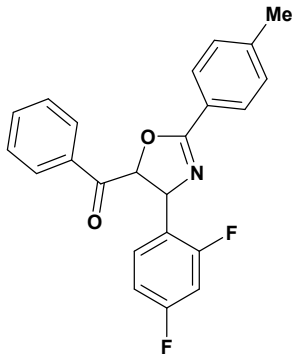
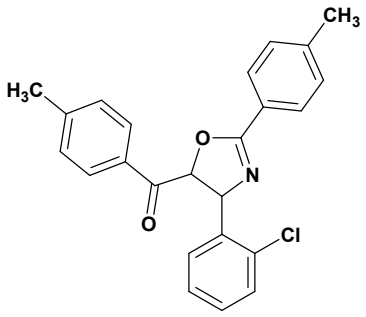
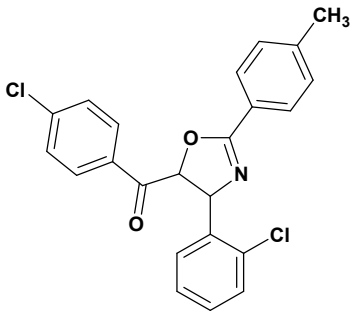
	8.05 (d, *J* = 8.2 Hz, 2H), 7.92 (dt, *J* = 7.8, 1.8 Hz, 1H), 7.74 (d, *J* = 2.0 Hz, 1H), 7.46-7.59 (m, 3H), 7.37 (s, 1H), 7.33 (s, 2H), 7.30 (s, 1H), 6.35 (d, *J* = 10.9 Hz, 1H), 5.92 (d, *J* = 10.9 Hz, 1H), 2.47 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 207.1, 194.3, 164.6, 148.7, 143.6, 142.9, 134.4, 134.3, 133.3, 129.9, 129.3, 129.0, 128.8, 123.6, 123.1, 122.1, 86.5, 72.1, 31.0, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}N_2O_4$: 387.1339, found: 387.1339.
(2,4-dichlorophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4l)	
	**R*f***: 0.25 (Pet. ether /EtOAc = 80/20); **Yield:** 84 mg, 85%; White solid; mp: 110 °C; ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.84 (2H, d, *J* = 8.2 Hz), 7.47 (1H, d, *J* = 1.9 Hz), 7.37-7.43 (1H, m), 7.30-7.36 (3H, m), 7.23-7.30 (5 H, m), 7.20 (1H, s), 5.55 (1H, d, *J* = 6.2 Hz), 5.45 (1H, d, *J* = 6.3 Hz), 2.39 (3H, s) ^{13}C NMR (50 MHz, $CDCl_3$) δ: 199.3, 163.7, 142.4, 141.2, 138.0, 134.8, 132.8, 130.3, 130.3, 129.2, 128.8, 128.5, 128.0, 127.2, 126.5, 123.8, 88.6, 73.8, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{18}NO_2Cl_2$: 410.0709, found: 410.0705.
(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(thiophen-2-yl)methanone (4m)	
	**R*f***: 0.26 (Pet. ether /EtOAc = 80/20); **Yield:** 88 mg, 90%; White solid; **mp:** 148 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.07 (d, *J* = 8.2 Hz, 2H), 7.60 (dd, *J* = 1.0, 3.9 Hz, 1H), 7.54 (dd, *J* = 1.1, 4.9 Hz, 1H), 7.32 (d, *J* = 8.0 Hz, 3H), 7.12- 6.98 (m, 6 H), 5.96-5.80 (m, 2H), 2.46 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 193.6, 166.2, 147.4, 144.1, 143.0, 135.4, 133.9, 129.3, 129.2, 128.8, 128.7, 127.7, 123.5, 123.0, 83.8,

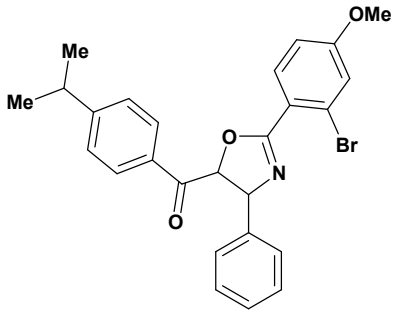
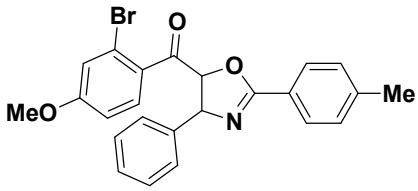
	73.3, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{21}H_{18}NO_2S$: 348.1053, found: 348.1053.
(5-bromothiophen-2-yl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4n)	
	**R***f*: 0.34 (Pet. ether /EtOAc = 80/20); **Yield:** 80 mg, 82%; White Solid **; ^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.01 (2H, t, *J* = 6.4 Hz), 7.94 (2H, m), 7.66 (1H, d, *J* = 6.7 Hz), 7.53 (2H, d, *J* = 6.7 Hz), 7.25 (2H, m), 6.96 (1H, m), 6.79 (1H, m), 5.72 (2H, m), 2.41 (3H, br. s.); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 193.8, 164.5, 146.4, 142.7, 134.2, 129.9, 129.2, 129.2, 128.9, 128.7 , 125.2, 123.6, 86.2, 69.1, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{21}H_{17}O_2NBrS$: 426.0158, found: 426.0156.
(4-(naphthalen-1-yl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4o)	
	**R***f*: 0.28 (Pet. ether /EtOAc = 80/20); **Yield:** 72 mg, 73%; White solid; **mp:** 95 °C; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.96 (6H, d, *J* = 8.4 Hz), 7.64 (1H, t, *J* = 7.2 Hz), 7.50 (2H, t, *J* = 7.8 Hz), 7.31 (4H, m), 7.27 (3H, m), 7.20 (1H, m), 5.60 (1H, d, *J* = 6.5 Hz), 5.55 (1H, d, *J* = 6.9 Hz), 2.42 (3H, s); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 194.4, 164.2, 143.3, 142.6, 134.9, 134.2, 134.1, 130.2, 129.2, 129.2, 128.9, 128.7, 128.3, 127.1, 125.2, 123.8, 86.5, 72.7, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{27}H_{15}NONa$: 392.1046, found: 392.1059.
(4-(4-bromophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4p)	
	R*f*: 0.24 (Pet. ether /EtOAc = 80/20); **Yield:** 63 mg, 63%; White solid; **mp:** 96 °C**; ^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.02 (2H, d, *J* = 6.7 Hz), 7.55 (2H, m, *J* = 7.3 Hz), 7.50 (1H,

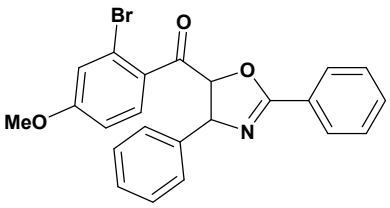
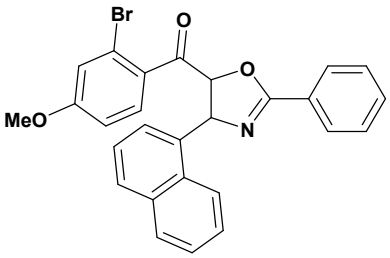
	d, *J* = 7.3 Hz), 7.35 (2H, m), 7.29 (2H, m, *J* = 7.3 Hz), 7.13 (2H, m), 6.80 (2H, d, *J* = 6.7 Hz), 6.25 (1H, d, *J* = 11.0 Hz), 5.77 (1H, d, *J* = 10.4 Hz), 2.44 (3H, s); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 194.1, 165.6, 142.7, 135.7, 133.5, 131.7, 131.0, 129.8, 129.3, 128.8, 128.6, 127.7, 123.8, 122.0, 84.1, 73.4, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Br$: 420.0594, found: 420.0596.
(4-(4-nitrophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4q)	
	**R***f*: 0.30 (Pet. ether /EtOAc = 80/20); **Yield:** 70 mg, 69%; White solid; **mp:** 188 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.03 (2H, d, *J* = 7.9 Hz), 7.87 (2H, d, *J* = 8.5 Hz), 7.55 (3H, d, *J* = 7.9 Hz), 7.50 (1H, d, *J* = 7.3 Hz), 7.31 (5H, m), 7.13 (2H, d, *J* = 8.5 Hz), 6.33 (1H, d, *J* = 10.4 Hz), 5.90 (1H, d, *J* = 11.0 Hz), 2.45 (3H, s); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 193.7, 166.3, 147.4, 144.1, 143.0, 135.4, 133.9, 129.3, 129.2, 128.8, 128.7, 127.7, 123.5, 123.0, 83.8, 73.3, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}N_2O_4$: 387.1339, found: 387.1340.
phenyl(2-(p-tolyl)-4-(4-(trifluoromethyl)phenyl)-4,5-dihydrooxazol-5-yl)methanone (4r)	
	**R***f*: 0.25 (Pet. ether /EtOAc = 80/20); **Yield:** 72 mg, 73%; White solid; **mp:** 82 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.12-7.99 (m, *J* = 8.2 Hz, 2H), 7.57-7.42 (m, 3H), 7.40-7.27 (m, 5H), 7.25 (s, 1H), 7.12-6.97 (m, *J* = 8.1 Hz, 2H), 6.31 (d, *J* = 10.9 Hz, 1H), 5.85 (d, *J* = 10.7 Hz, 1H), 2.46 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 194.2, 165.8, 142.8, 140.7, 135.7, 133.5, 129.3, 128.8, 128.6, 127.6, 124.8-124.7 (d, J = 3.83 Hz), 123.7, 84.0, 73.5, 21.7; **HRMS** (ESI) calculated

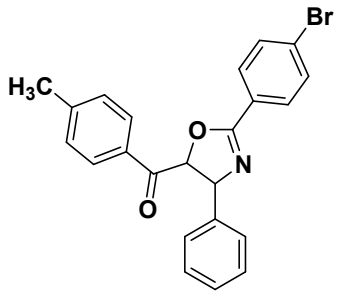
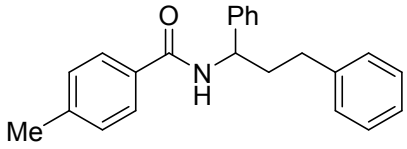
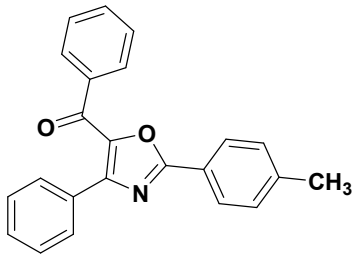
	$[M+H]^+$ for $C_{24}H_{19}NO_2F_3$: 410.1362, found: 410.1357.
(4-(3-bromophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4s)	
	**R***f*: 0.27 (Pet. ether /EtOAc = 80/20); **Yield:** 82 mg, 83%; White solid; **mp:** 98 °C**; ^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.96 (4H, d, *J* = 8.0 Hz), 7.65 (1H, m), 7.49 (4H, m), 7.25 (5H, m), 5.60 (1H, d, *J* = 6.9 Hz), 5.54 (1H, d, *J* = 6.9 Hz), 2.42 (3H, s); **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 194.3, 164.2, 143.5, 142.6, 134.2, 131.2, 130.5, 130.0, 129.2, 129.2, 128.9, 128.7, 125.7, 123.8, 123.1, 86.5, 72.6, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Br$: 422.0573, found: 422.0569.
(4-(3-chlorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4t)	
	**R***f*: 0.27 (Pet. ether /EtOAc = 80/20); **Yield:** 79 mg, 80%; White solid; **mp:** 146 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.04 (d, *J* = 8.2 Hz, 2H), 7.61-7.44 (m, 3H), 7.41-7.28 (m, 4H), 7.07-6.92 (m, 2H), 6.92-6.72 (m, 2H), 6.27 (d, *J* = 11.0 Hz, 1H), 5.77 (d, *J* = 10.5 Hz, 1H), 2.45 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 194.1, 165.7, 142.7, 138.6, 135.7, 133.8, 133.5, 129.2, 129.2, 128.8, 128.5, 128.4, 128.0, 127.7, 126.3, 123.7, 84.0, 73.4, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Cl$: 376.1099, found: 376.1103.
phenyl(4-(o-tolyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4u)	
	R*f*: 0.25 (Pet. ether /EtOAc = 80/20); **Yield:** 83 mg, 84%; White solid; **mp:** 118 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.01-7.90 (m, 4H), 7.66-7.56 (m, 1H), 7.52-7.43 (m, 2H), 7.35-7.26 (m, 2H), 7.25-7.11 (m, 4H), 5.85 (d, *J* = 6.4 Hz, 1H), 5.69 (d, *J* = 6.4 Hz, 1H), 2.41 (s, 3H), 2.24 (s, 3H); **^{13}C**

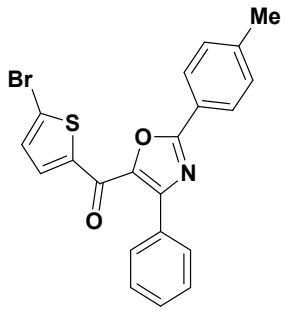
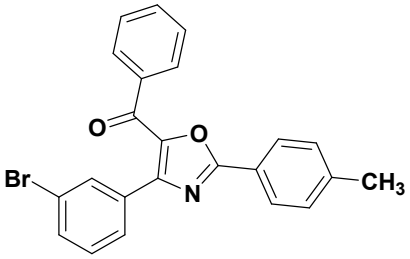
	**NMR** (50 MHz, $CDCl_3$) δ: 194.5, 163.6, 142.2, 139.2, 135.5, 134.3, 133.9, 130.6, 129.1, 128.9, 128.7, 128.6, 127.9, 127.0, 126.8, 124.1, 86.2, 69.7, 21.6, 19.3; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{24}H_{22}NO_2$: 356.1645, found: 356.1645.
(4-(2-fluorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4v)	
	**R***f*: 0.24 (Pet. ether /EtOAc = 80/20); **Yield:** 70 mg, 71%; White solid; **mp:** 120 °C; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.96 (4H, d, *J* = 8.0 Hz), 7.61 (1H, t, *J* = 7.4 Hz), 7.47 (2H, t, *J* = 7.6 Hz), 7.37 (1H, t, *J* = 7.2 Hz), 7.30 (1H, m), 7.24 (2H, d, *J* = 7.6 Hz), 7.16 (1H, m), 7.06 (1H, t, *J* = 9.2 Hz), 5.84 (1H, d, *J* = 6.5 Hz), 5.73 (1H, d, *J* = 6.5 Hz), 2.40 (3H, s); **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 193.8, 164.2, 161.2, 159.2, 142.3, 134.2, 133.9, 129.7, 129.7, 129.1, 128.9, 128.7, 128.6, 128.1, 128.0, 124.6, 124.5, 123.9, 115.8, 115.6, 85.0, 67.5, 21.5; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2F$: 360.1394, found: 360.1393.
(4-(2-bromophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4w)	
	**R***f*: 0.21 (Pet. ether /EtOAc = 80/20); **Yield:** 76 mg, 77%; White solid; **mp:** 116 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.04 (d, *J* = 8.1 Hz, 3H), 7.59-7.48 (m, 3H), 7.40 (dd, *J* = 1.7, 6.1 Hz, 1H), 7.25-7.05 (m, 4H), 6.96-6.81 (m, 1H), 6.39 (d, *J* = 10.6 Hz, 1H), 6.26 (d, *J* = 10.7 Hz, 1H), 2.45 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 199.3, 163.2, 143.5, 142.6, 134.2, 131.2, 130.5, 130.0, 129.2, 128.9, 128.7, 125.7, 123.8, 123.1, 86.5, 72.6, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_2Br$: 420.0594, found:420.0597.

(4-(2,4-difluorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4x)	
	**R***f*: 0.24 (Pet. ether /EtOAc = 80/20); **Yield:** 73 mg, 74%; White solid; **mp:** 72 °C; **^{1}H NMR (**500 MHz**,** $CDCl_3$**)** δ: 8.02 (2H, d, *J* = 7.6 Hz), 7.59 (2H, d, *J* = 7.6 Hz), 7.51 (1H, t, *J* = 7.4 Hz), 7.31 (5H, m), 7.06 (1H, m), 6.69 (1H, m), 6.31 (1H, br. s.), 6.13 (1H, d, *J* = 11.1 Hz), 2.44 (3H, s); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 194.3, 166.0, 142.6, 135.1, 133.6, 130.8, 129.3, 128.8, 128.5, 127.7, 124.0, 111.6, 111.4, 102.9, 102.7, 102.5, 82.5, 66.0, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{18}NO_2F_2$: 378.1300, found: 378.1298.
(4-(2-chlorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(p-tolyl)methanone (4y)	
	**R***f*: 0.28 (Pet. ether /EtOAc = 80/20); **Yield:** 69.4 mg, 70%; White solid; **mp:** 110 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.00-7.83 (m, 4H), 7.45-7.32 (m, 2H), 7.32-7.28 (m, 2H), 7.27-7.20 (m, 4H), 6.09 (d, *J* = 6.2 Hz, 1H), 5.66 (d, *J* = 6.2 Hz, 1H), 2.43 (s, 3H), 2.41 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 193.2, 164.2, 145.0, 142.4, 139.0, 132.7, 132.0, 129.7, 129.5, 129.2, 129.1, 128.9, 128.6, 127.3, 124.0, 84.5, 69.8, 21.8, 21.6; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{24}H_{21}NO_2Cl$: 390.1255, found: 390.1261.
(4-chlorophenyl)(4-(2-chlorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4z)	
	**R***f*: 0.25 (Pet. ether /EtOAc = 80/20); **Yield:** 72.8 mg, 73%; White solid; **mp:** 116 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 7.98-7.88 (m, 4H), 7.50-7.42 (m, 2H), 7.42-7.34 (m, 2H), 7.29 (d, *J* = 2.3 Hz, 1H), 7.26-7.20 (m, 3H), 6.09 (d, *J* = 6.2 Hz, 1H), 5.60 (d, *J* = 6.2 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (50 MHz, $CDCl_3$) δ: 192.2, 163.9, 142.4, 140.5, 139.0, 133.0,

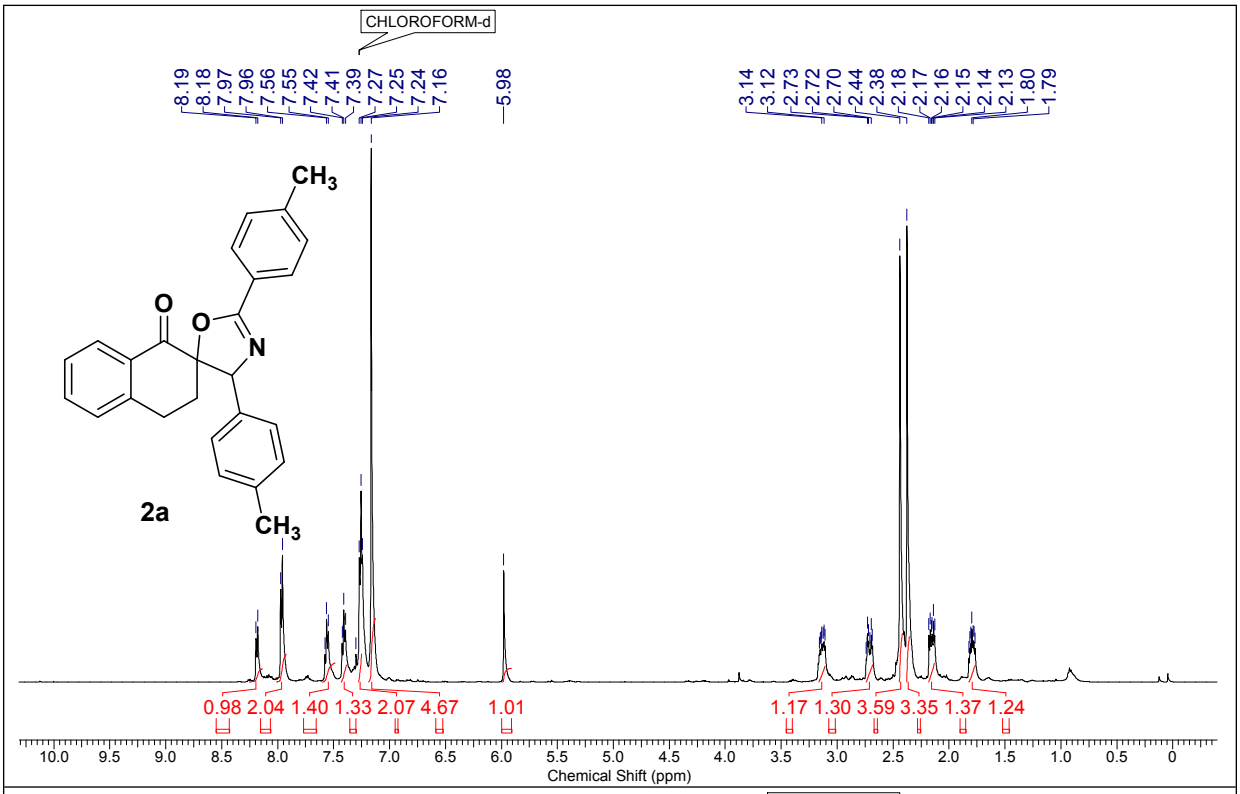
	132.7, 130.5, 129.7, 129.2, 128.9, 128.7, 127.6, 127.4, 123.9, 84.5, 69.7, 21.7; **HRMS** (ESI) calculated [M+H]+ for $C_{23}H_{18}NO_2Cl_2$: 410.0709, found: 410.0714.
(2-(2-bromo-4-methoxyphenyl)-4-phenyl-4,5-dihydrooxazol-5-yl)(4-isopropylphenyl)methanone (4za)	
	**R***f*: 0.24 (Pet. ether /EtOAc = 80/20); **Yield:** 77.9 mg, 79%; White solid; **mp:** 76 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 7.87 (d, *J* = 8.2 Hz, 2H), 7.39 (d, *J* = 8.7 Hz, 1H), 7.27-7.16 (m, 7H), 7.13 (d, *J* = 2.4 Hz, 1H), 6.79 (dd, *J* = 2.4, 8.7 Hz, 1H), 5.60-5.31 (m, 2H), 3.77 (s, 3H), 3.02-2.75 (m, 1H), 1.21 (s, 3H), 1.17 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 197.8, 163.8, 162.2, 153.1, 141.4, 131.6, 129.6, 128.8, 128.7, 127.9, 126.6, 126.5, 124.3, 122.0, 119.7, 112.9, 88.0, 73.7, 55.7, 34.2, 23.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{26}H_{25}NO_3Br$: 478.1012, found: 478.1016.
(2-bromo-4-methoxyphenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4zb)	
	**R***f*: 0.21 (Pet. ether /EtOAc = 80/20); **Yield:** 70 mg, 71%; White solid; mp: 141 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 7.87 (s, 1H), 7.75-7.85 (m, 1H), 7.46 (d, *J* = 8.6 Hz, 1H), 7.24-7.37 (m, 7H), 7.20 (d, *J* = 2.4 Hz, 1H), 6.85 (dd, *J* = 8.7, 2.5 Hz, 1H), 5.55 (q, *J* = 6.5 Hz, 2H), 3.83 (s, 3H), 2.38 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 195.50, 161.9, 138.2, 136.79, 132.74, 131.83, 129.30, 128.37, 128.27, 128.2, 128.07, 126.7, 125.8, 121.2, 119, 112.9, 85.19, 73.39, 55.6, 21.2; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{24}H_{21}NO_3Br$: 450.0699, found: 450.0700.
(2-bromo-4-methoxyphenyl)(2,4-diphenyl-4,5-dihydrooxazol-5-yl)methanone (4zc)	

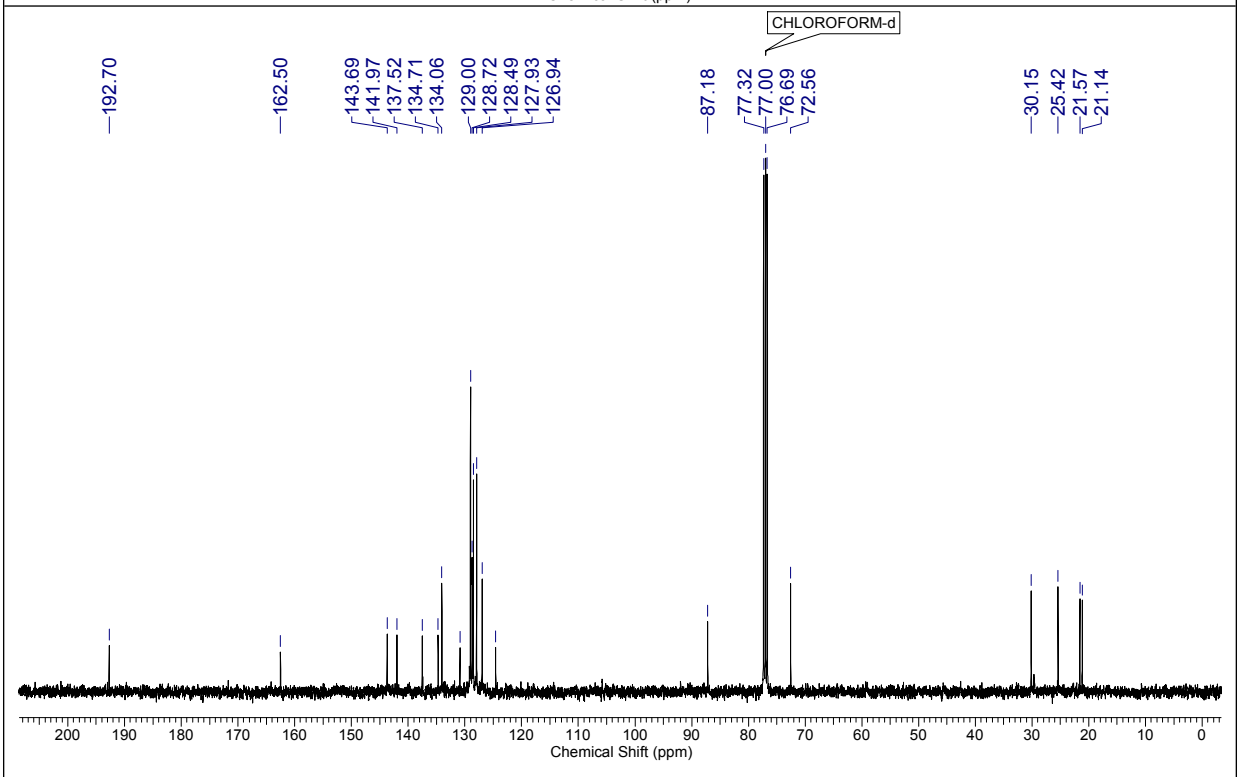
	**R***f*: 0.25 (Pet. ether /EtOAc = 80/20); **Yield:** 74.2 mg, 75%; White solid; **mp:** 66 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.0 (dd, *J* = 8.15, 1.45 Hz, 2H), 7.4-7.5 (m, 4H), 7.4 (dd, *J* = 7.26, 1.71 Hz, 2H), 7.2-7.3 (m, 4H), 6.9 (dd, *J* = 8.65, 2.46 Hz, 1H), 5.5-5.6 (m, 2H), 3.8 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 197.7, 163.8, 162.3, 141.3, 131.8, 131.6, 129.6, 128.8, 128.6, 128.4, 127.9, 126.8, 126.7, 122.0, 119.8, 113.0, 88.1, 73.8, 55.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{19}NO_3Br$: 436.0543, found: 436.0540.
(2-bromo-4-methoxyphenyl)(4-(naphthalen-1-yl)-2-phenyl-4,5-dihydrooxazol-5-yl)methanone (4zd)	
	**R***f*: 0.27 (Pet. ether /EtOAc = 80/20); **Yield:** 79.7 mg, 81%; White solid; **mp:** 64 °C; **^{1}H NMR** (200 MHz, $CDCl_3$) δ: 8.2 (d, *J* = 7.20 Hz, 1H), 8.0 (d, *J* = 8.21 Hz, 1H), 7.9-8.0 (m, 1H), 7.5-7.6 (m, 3H), 7.5 (d, *J* = 3.28 Hz, 1H), 7.4 (s, 5H), 7.2 (d, *J* = 2.40 Hz, 1H), 6.9 (dd, *J* = 8.59, 2.40 Hz, 1H), 5.7 (d, *J* = 6.32 Hz, 1H), 5.6 (d, *J* = 6.19 Hz, 1H), 3.9 (s, 3H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 198.1, 163.7, 162.3, 141.5, 133.7, 132.5, 131.7, 131.3, 129.8, 129.8, 128.9, 128.5, 128.0, 127.6, 126.7, 126.4, 126.2, 124.6, 123.4, 122.1, 119.8, 113.1, 87.1, 74.6, 55.8; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{27}H_{21}NO_3Br$: 486.0699, found: 486.0702.
(2-(4-bromophenyl)-4-phenyl-4,5-dihydrooxazol-5-yl)(p-tolyl)methanone (4ze)	

	**R***f*: 0.22 (Pet. ether /EtOAc = 30/70); **Yield:** 48 mg, 69 %; White Solid; **mp:** 81 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ: 7.96 (2H, m, *J* = 8.4 Hz), 7.82 (2H, d, *J* = 8.4 Hz), 7.60 (2H, m, *J* = 8.4 Hz), 7.33-7.45 (3H, m), 7.29 (4H, t, *J* = 8.0 Hz), 5.67 (1H, d, *J* = 6.9 Hz), 5.49 (1H, d, *J* = 6.5 Hz), 2.45 (3H, s); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 193.5, 163.0, 145.0, 140.9, 131.8, 131.7, 130.3, 129.6, 129.2, 129.0, 128.2, 127.0, 126.6, 126.0, 86.8, 73.7, 21.8; LCMS (ES+) m/z = 422.0 ([M + H]$^{+}$, tr = 1.60 min).
N-(1,3-diphenylpropyl)-4-methylbenzamide (5a)	
	**R***f*: 0.22 (Pet. ether /EtOAc = 30/70); **Yield:** 91 mg, 95%; White Solid; **mp:** 160; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.59 (d, *J* = 7.6 Hz, 2H), 7.37 (d, *J* = 4.2 Hz, 4H), 7.29 (m, 3H), 7.20 (m, 5H), 5.25 (q, *J* = 6.9 Hz, 1H), 2.69 (m, 2H), 2.39 (s, 3H), 2.32 (m, 1H), 2.24 (m, 1H); **^{13}C NMR** (50 MHz, $CDCl_3$) δ: 199.3, 163.9, 142.6, 141.1, 138.1, 132.8, 131.2, 130.4, 129.2, 128.9, 128.5, 128.1, 127.3, 126.6, 123.7, 88.7, 73.8, 21.6; **HRMS** (ESI) calculated [M+H]$^{+}$ for $C_{23}H_{24}NO$: 330.1852, found: 330.1847.
Phenyl(4-phenyl-2-(p-tolyl)oxazol-5-yl)methanone (6a)	
	**R***f*: 0.35 (Pet. ether /EtOAc = 90/10); **Yield:** 84 mg, 86%; White Solid ; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.08 (4H, m), 7.97 (2H, d, *J* = 7.6 Hz), 7.59 (1H, t, *J* = 7.4 Hz), 7.48 (2H, t, *J* = 7.8 Hz), 7.43 (3H, m), 7.33 (3H, d, *J* = 8.0 Hz), 2.45 (3H, s); **^{13}C NMR** (125 MHz, $CDCl_3$) δ: 183.1, 162.2, 148.9, 143.3, 142.4, 137.6, 132.8, 130.7, 129.8, 129.7, 129.6, 129.3, 128.3, 128.2, 127.4, 123.6, 21.7; **HRMS** (ESI) calculated

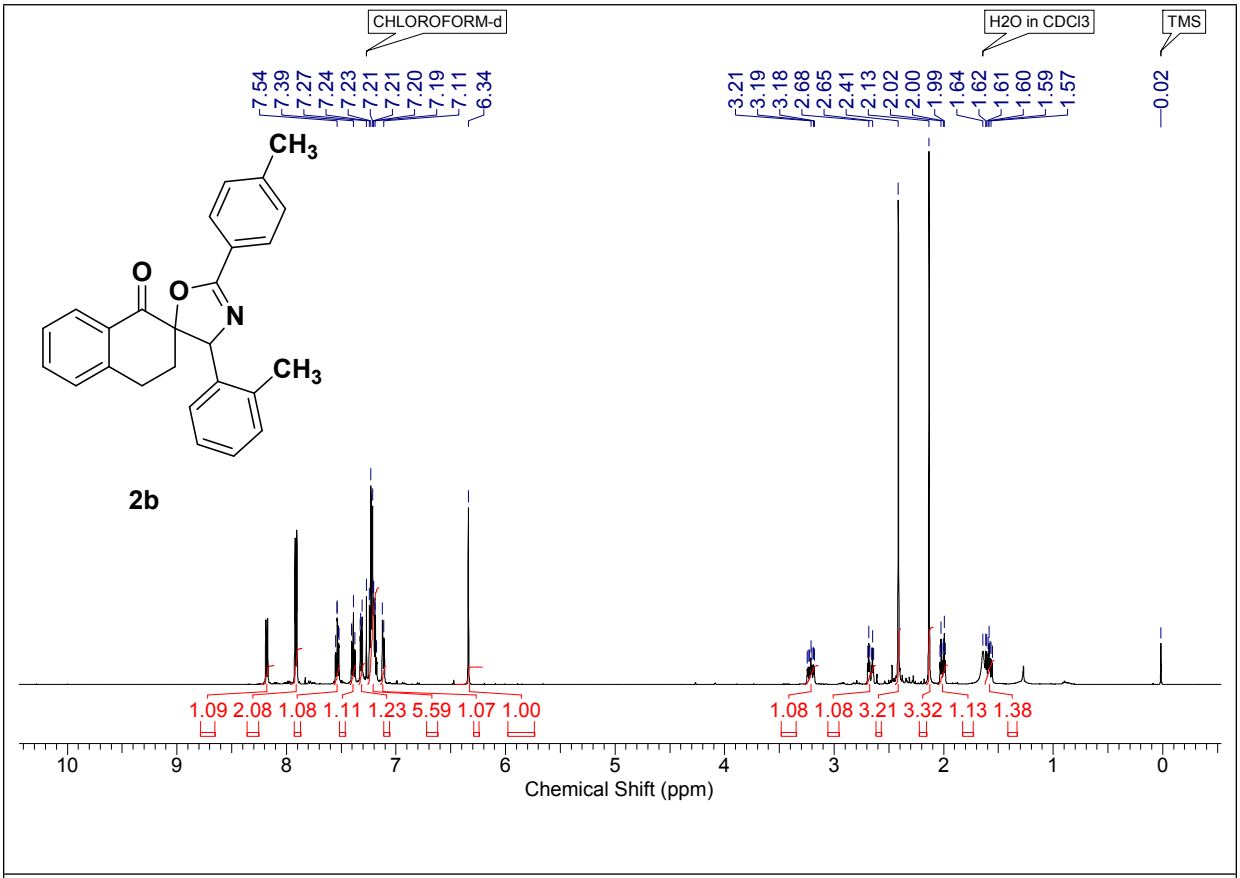
	$[M+H]^+$ for $C_{23}H_{18}O_2N$: 340.1332, found: 340.1333.
(5-bromothiophen-2-yl)(4-phenyl-2-(p-tolyl)oxazol-5-yl)methanone (6b)	
	**R***f*: 0.30 (Pet. ether /EtOAc = 90/10); **Yield:** 76 mg, 78%; White Solid ; **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.26 (d, *J* = 4.2 Hz, 1H), 8.11 (m, *J* = 7.6 Hz, 2H), 8.04 (m, *J* = 8.0 Hz, 2H), 7.65 (t, *J* = 7.2 Hz, 1H), 7.57 (t, *J* = 7.4 Hz, 2H), 7.33 (d, *J* = 7.6 Hz, 2H), 7.17 (d, *J* = 3.8 Hz, 1H), 2.45 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ: 181.9, 162.0, 142.8, 137.5, 135.1, 132.8, 131.2, 130.8, 129.8, 129.5, 128.5, 127.6, 123.2, 117.6, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{21}H_{15}O_2NBrS$: 424.0001, found: 424.0002.
(4-(3-bromophenyl)-2-(p-tolyl)oxazol-5-yl)(phenyl)methanone (6c)	
	**R***f*: 0.30 (Pet. ether /EtOAc = 90/10); **Yield:** 72 mg, 76%; White Solid **; ^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.08 (3H, m), 7.87 (1H, d, *J* = 7.6 Hz), 7.70 (1H, d, *J* = 8.0 Hz), 7.43 (3H, m), 7.34 (3H, m), 7.26 (2H, s), 2.45 (3H, s); **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 181.3, 162.6, 149.7, 142.9, 142.6, 139.4, 135.5, 132.6, 130.5, 130.1, 129.9, 129.8, 129.4, 128.3, 128.0, 127.5, 123.4, 122.5, 21.7; **HRMS** (ESI) calculated $[M+H]^+$ for $C_{23}H_{17}O_2NBr$: 418.0437, found 418.0437.

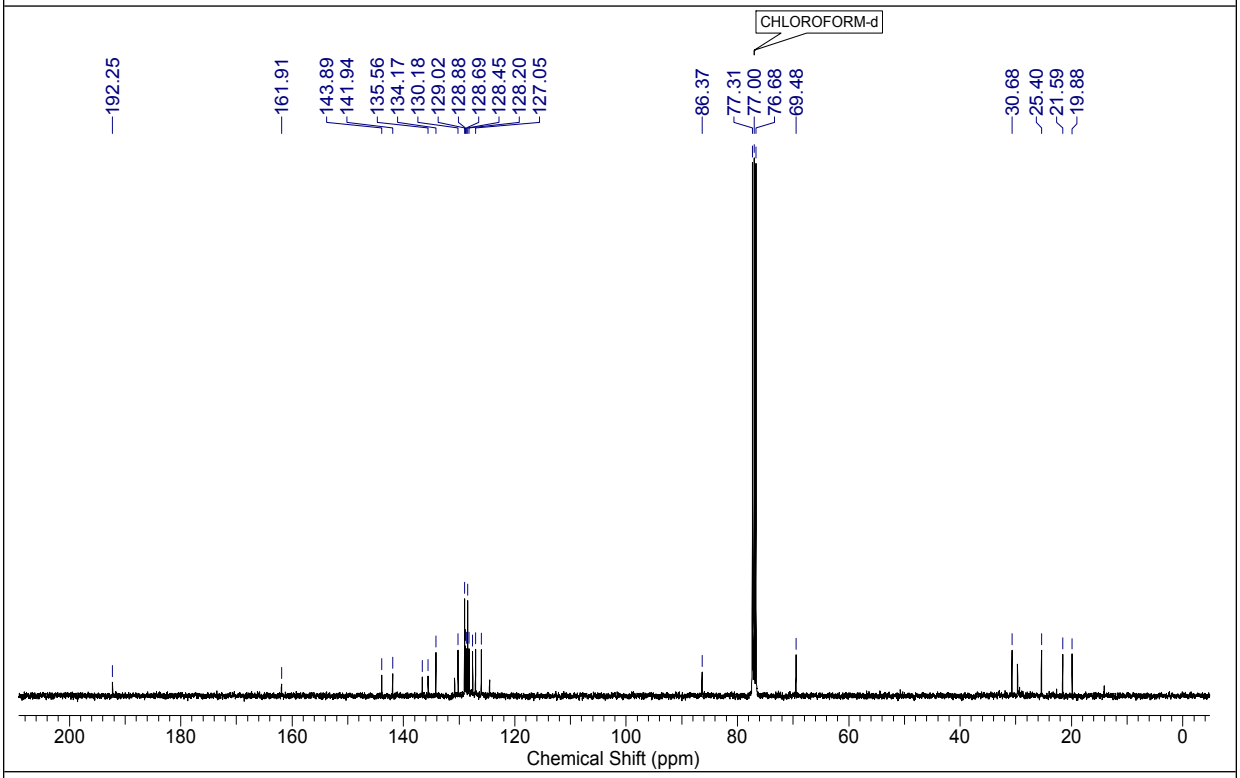
4. NMR Spectra



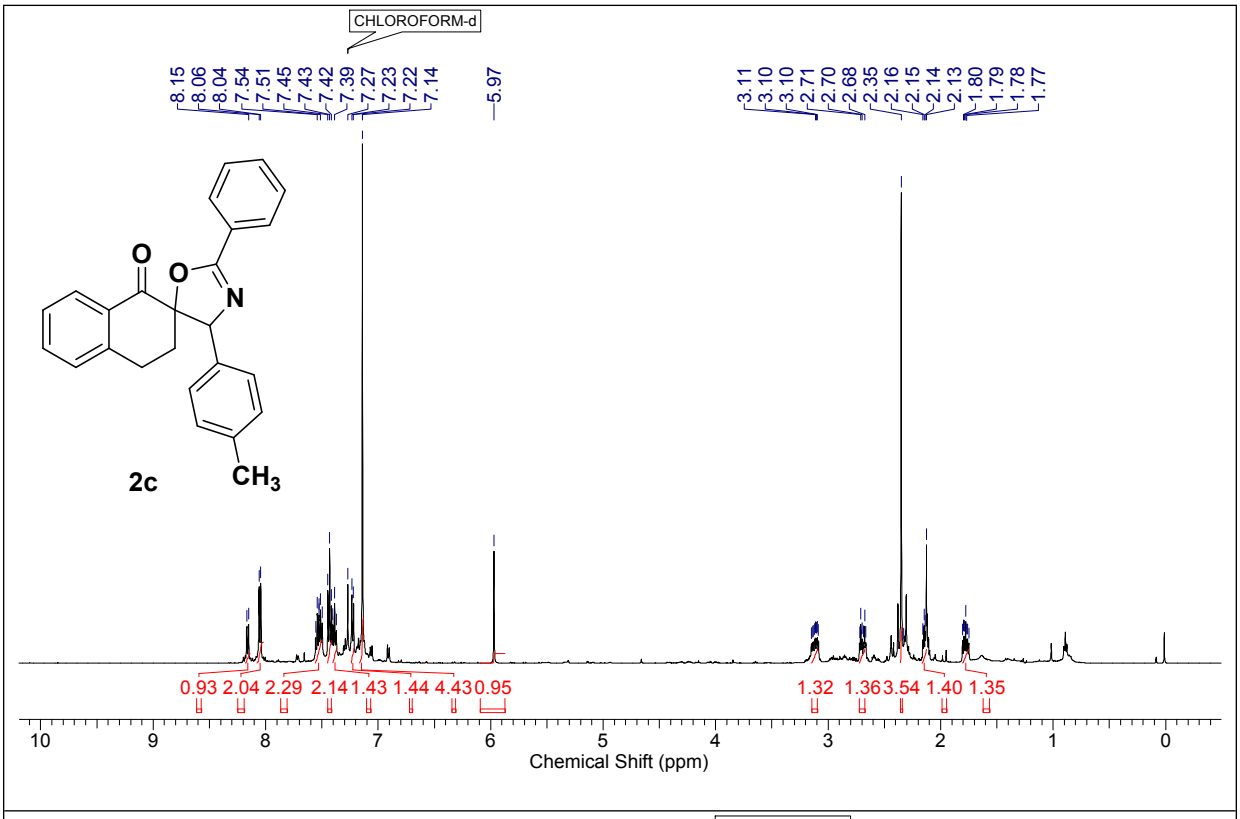


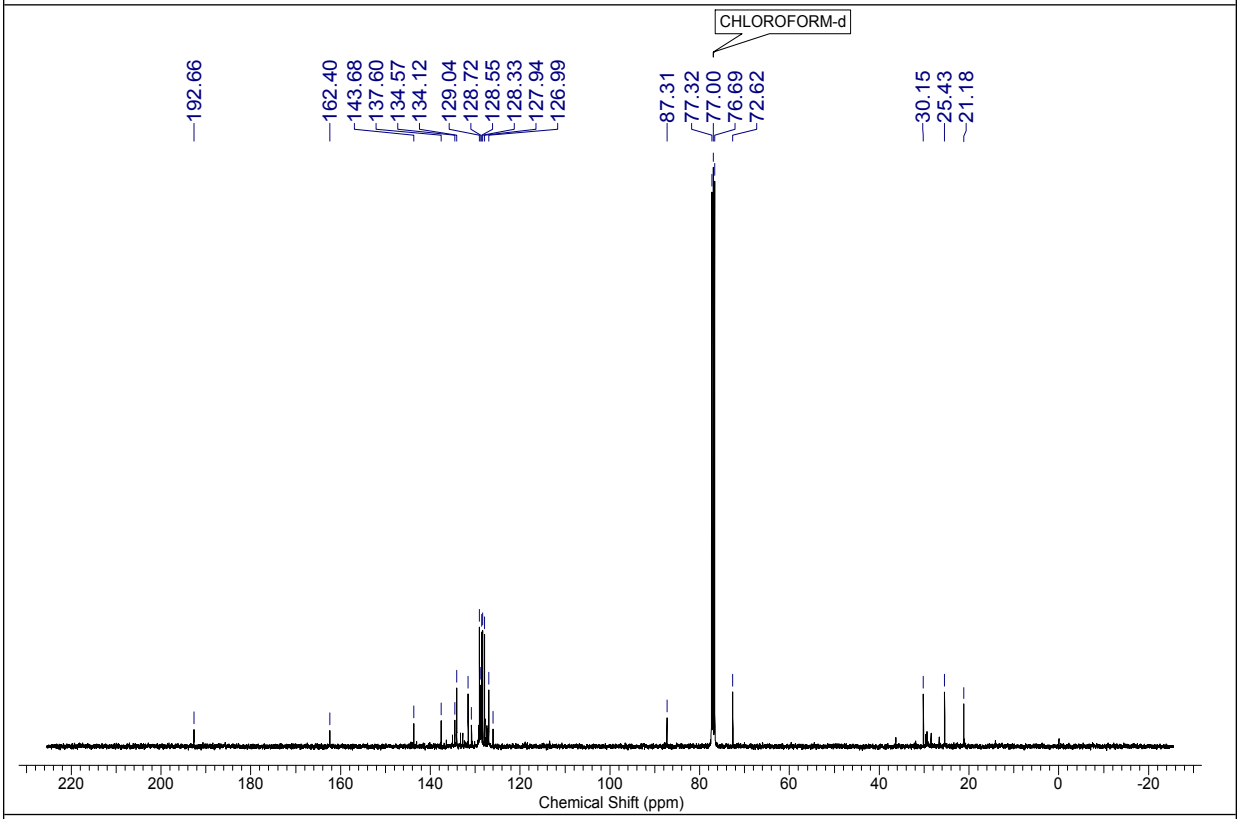
2',4'-di-p-tolyl-3,4-dihydro-1H,4'H-spiro[naphthalene-2,5'-oxazol]-1-one (2a)



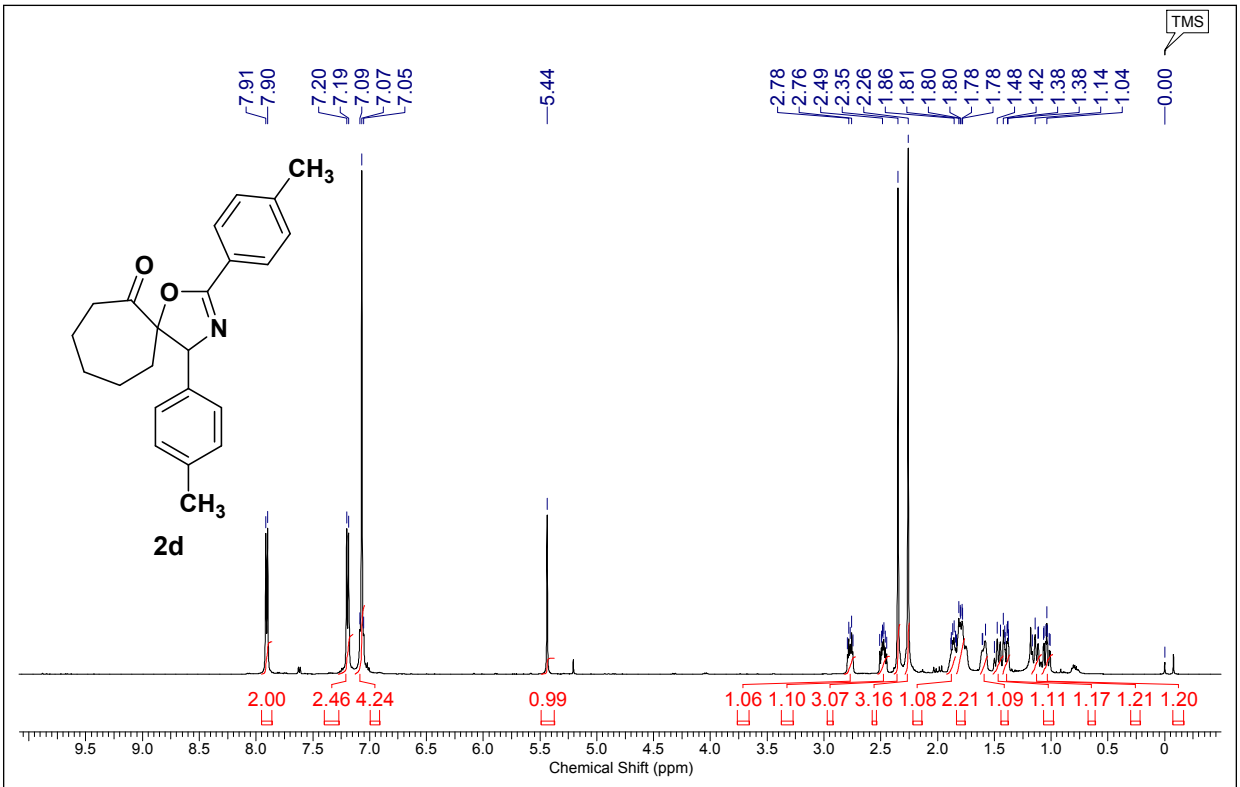


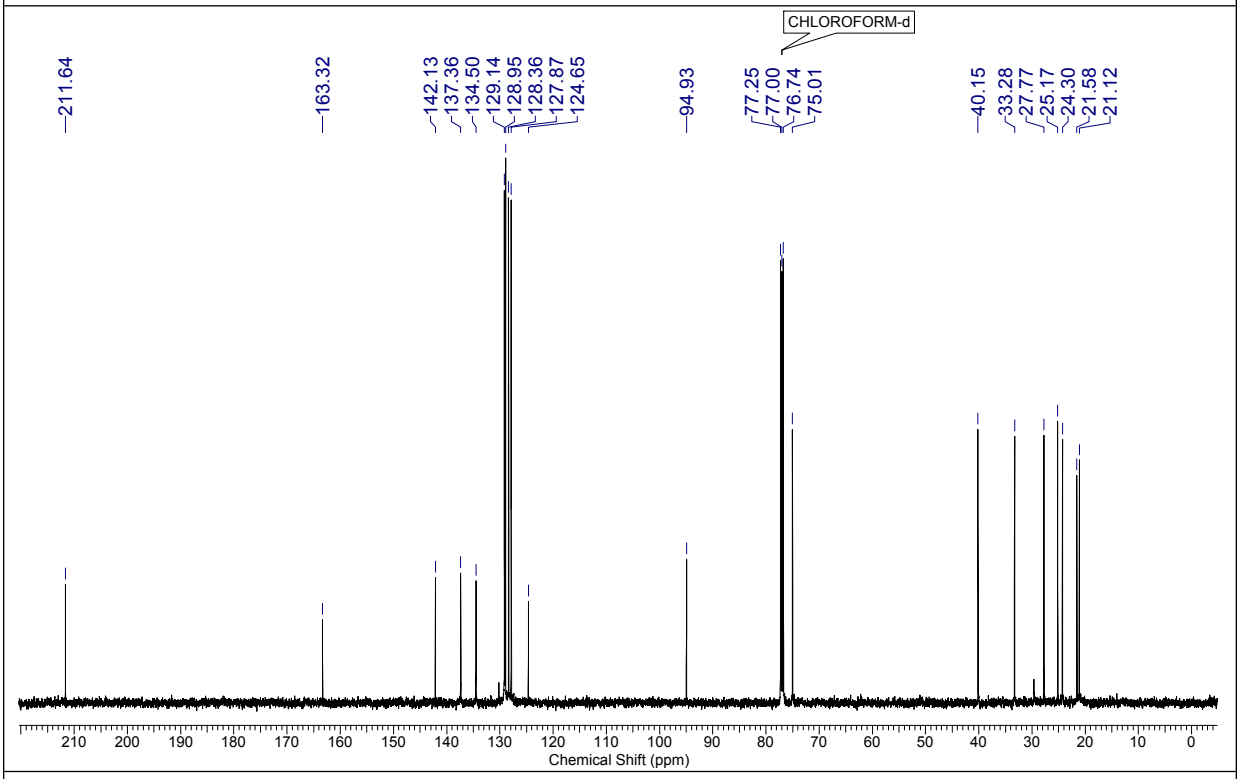
4'-(o-tolyl)-2'-(p-tolyl)-3,4-dihydro-1H,4'H-spiro[naphthalene-2,5'-oxazol]-1-one (2b)



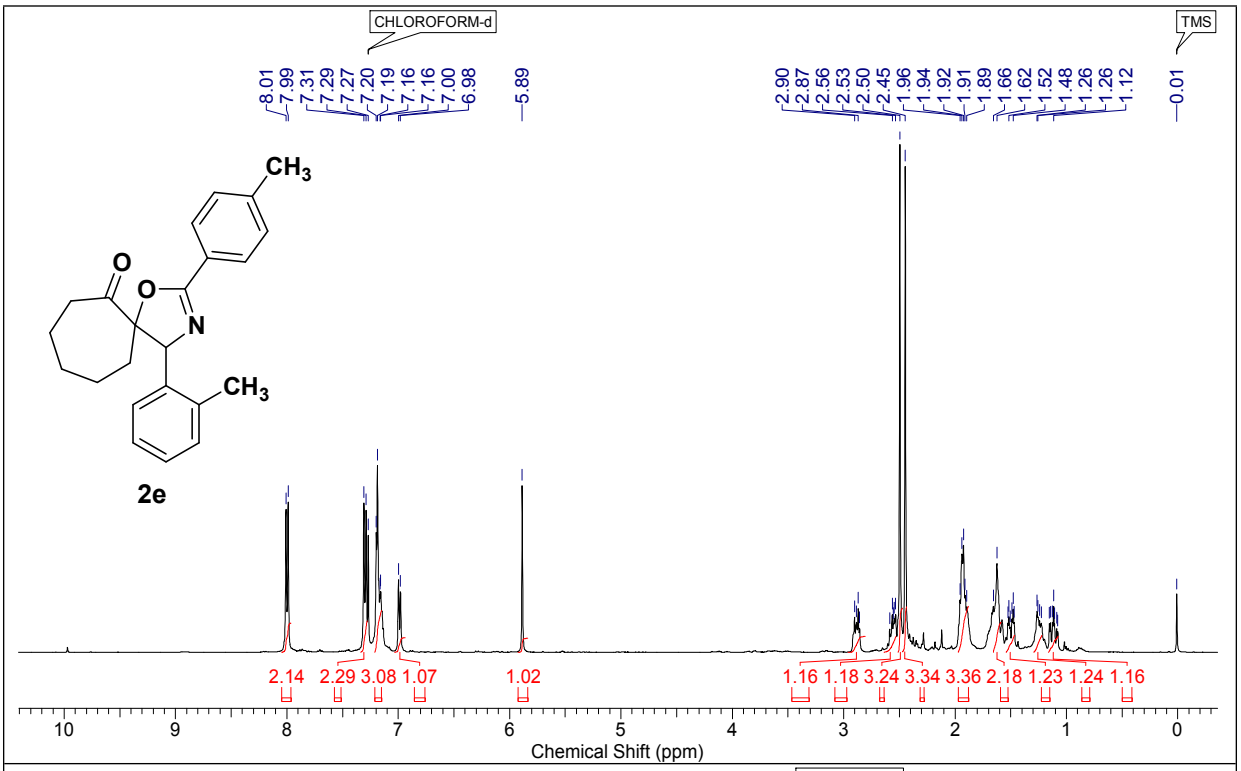


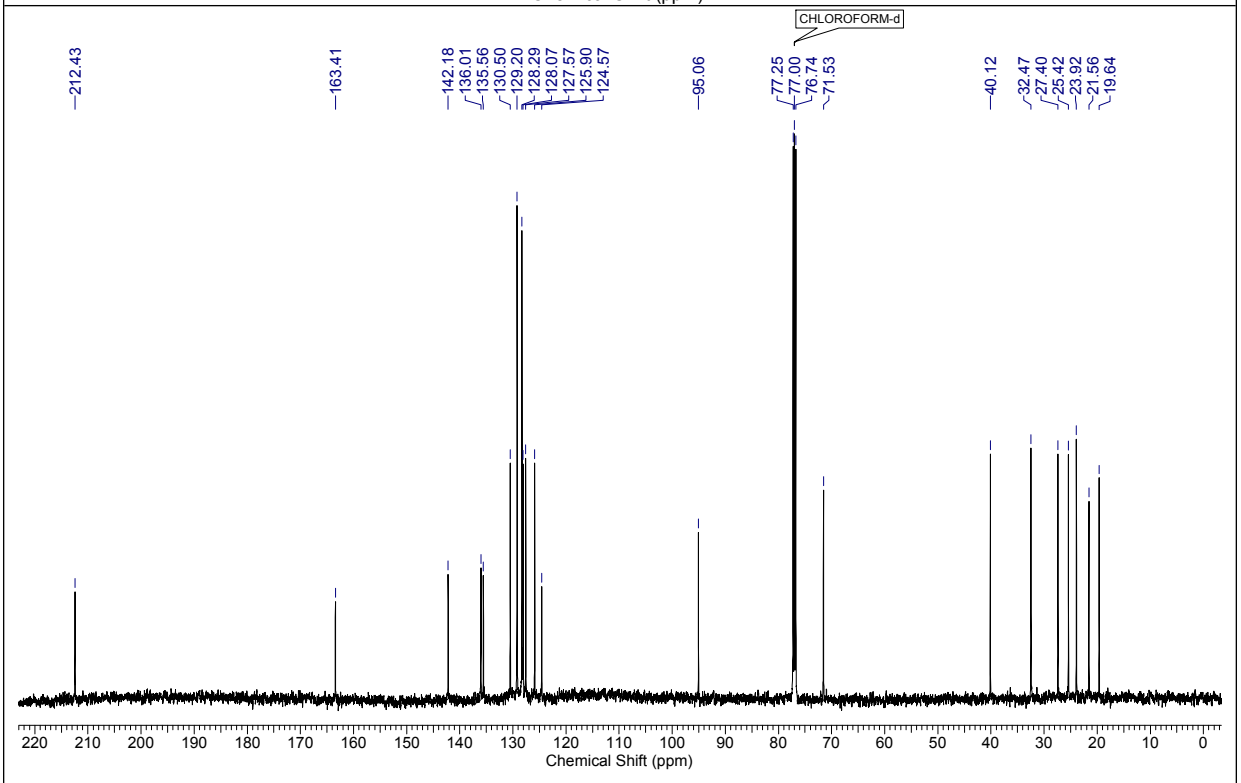
2'-phenyl-4'-(p-tolyl)-3,4-dihydro-1H,4'H-spiro[naphthalene-2,5'-oxazol]-1-one (2c)



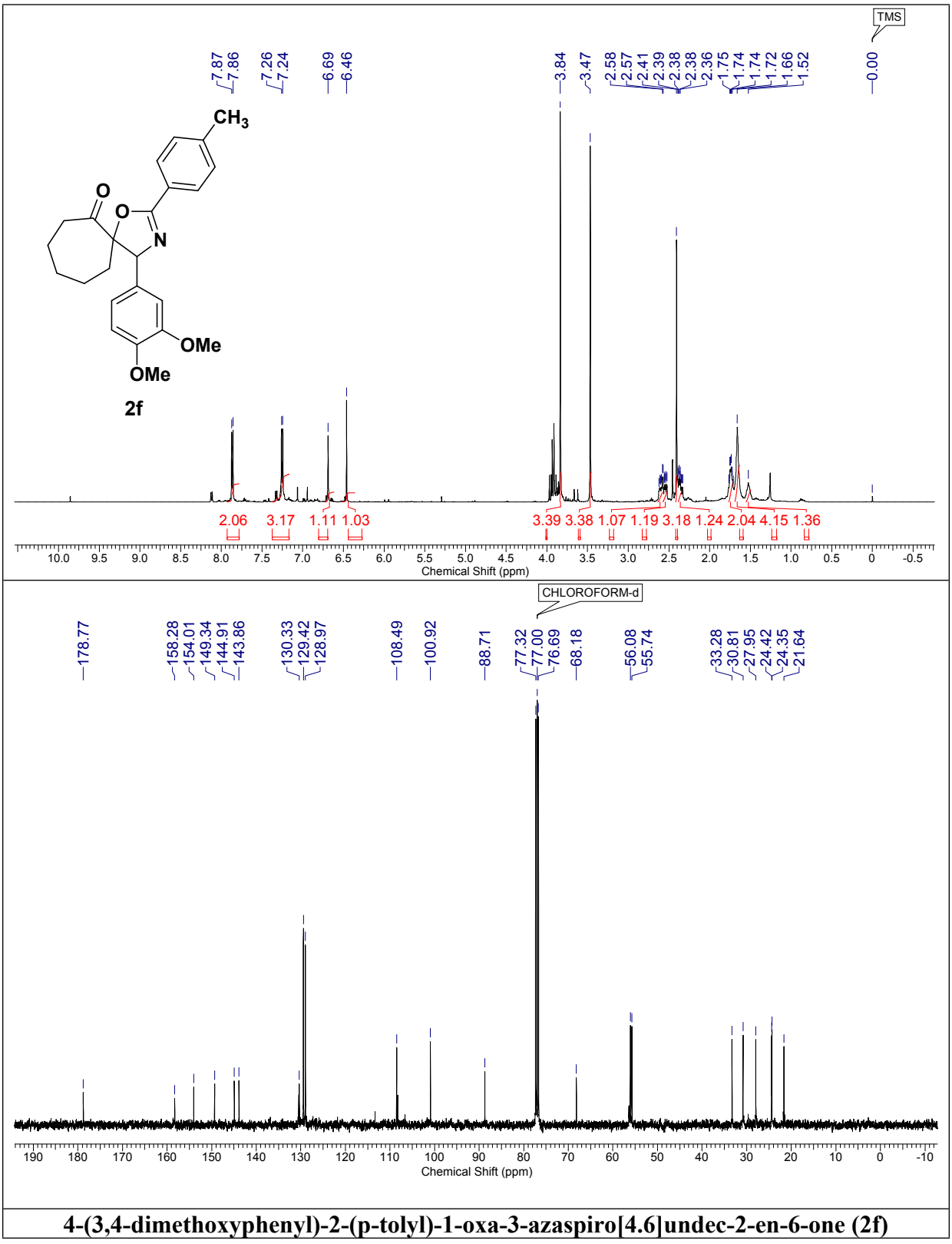


2,4-di-p-tolyl-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2d)

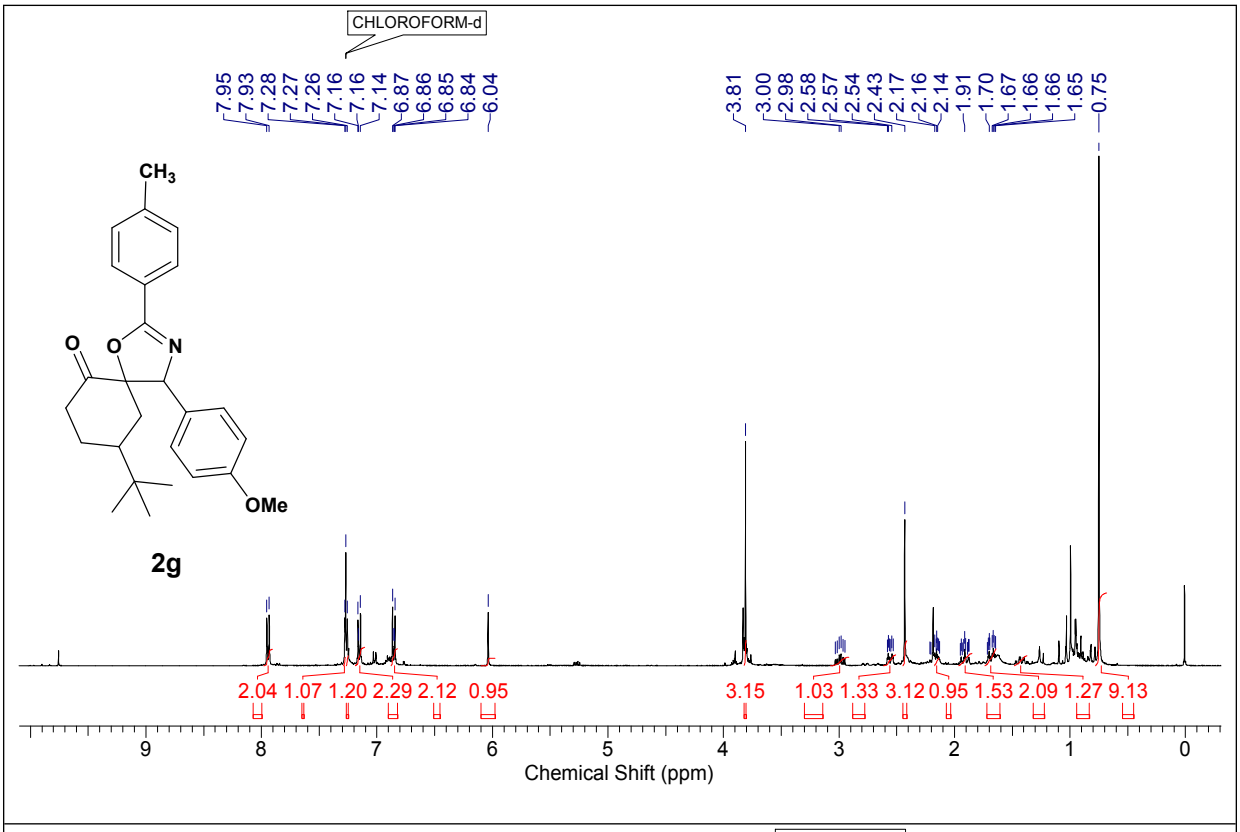


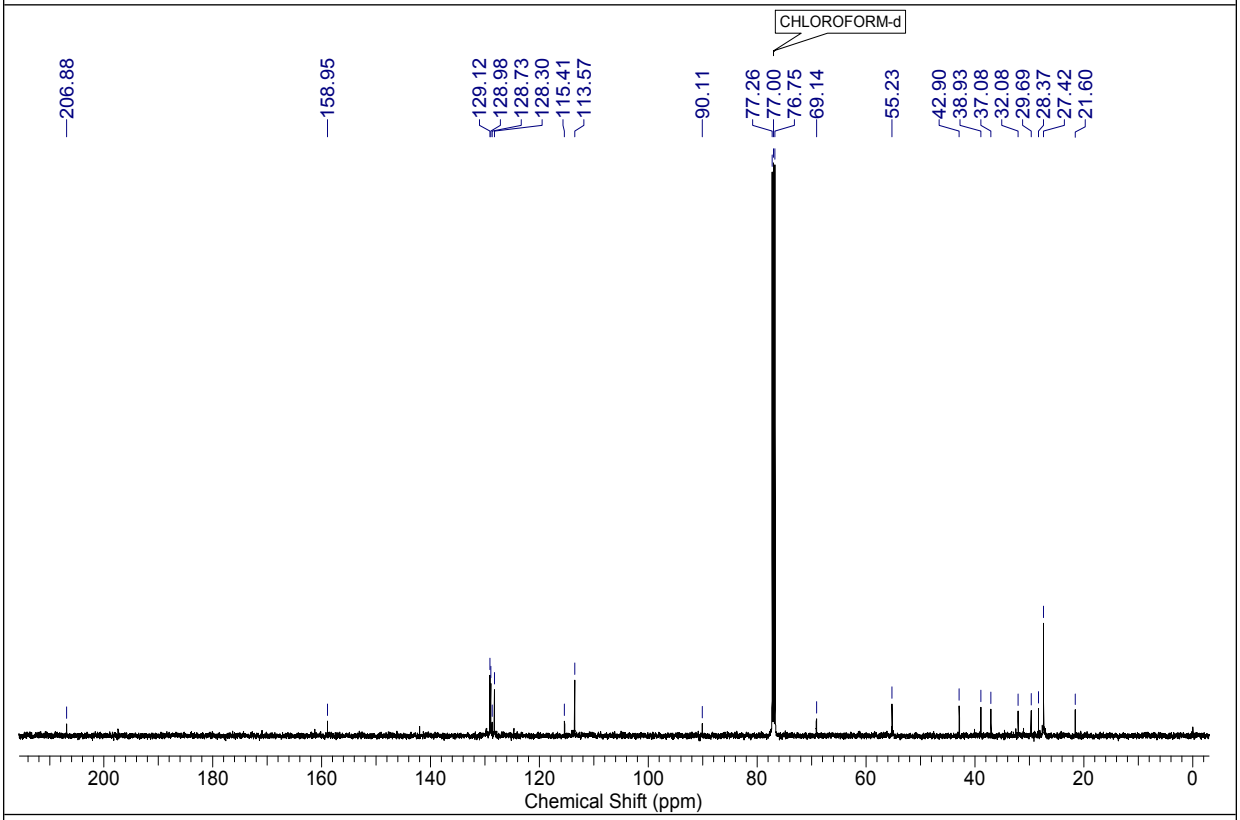


4-(o-tolyl)-2-(p-tolyl)-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2e)

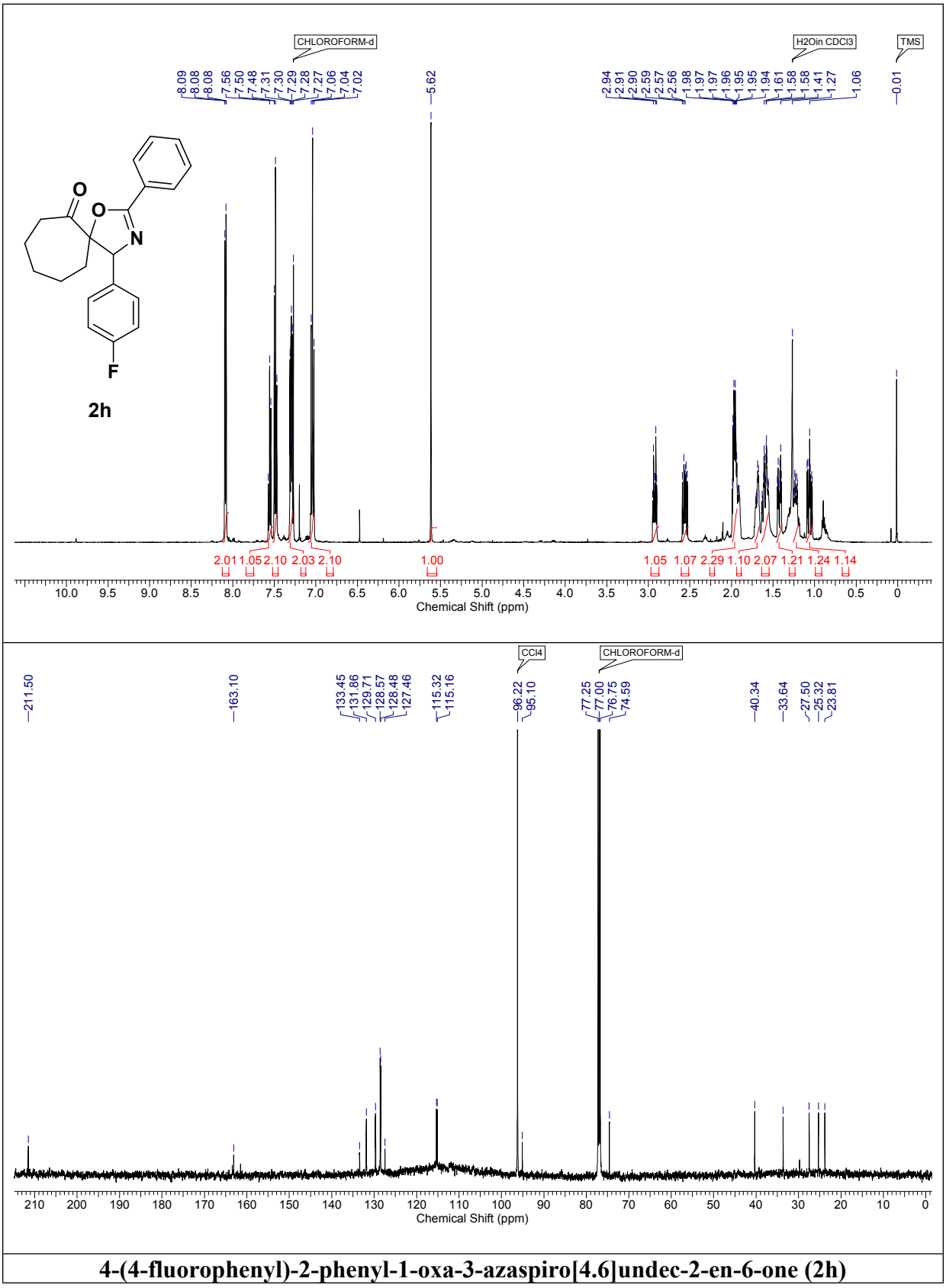


4-(3,4-dimethoxyphenyl)-2-(p-tolyl)-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2f)

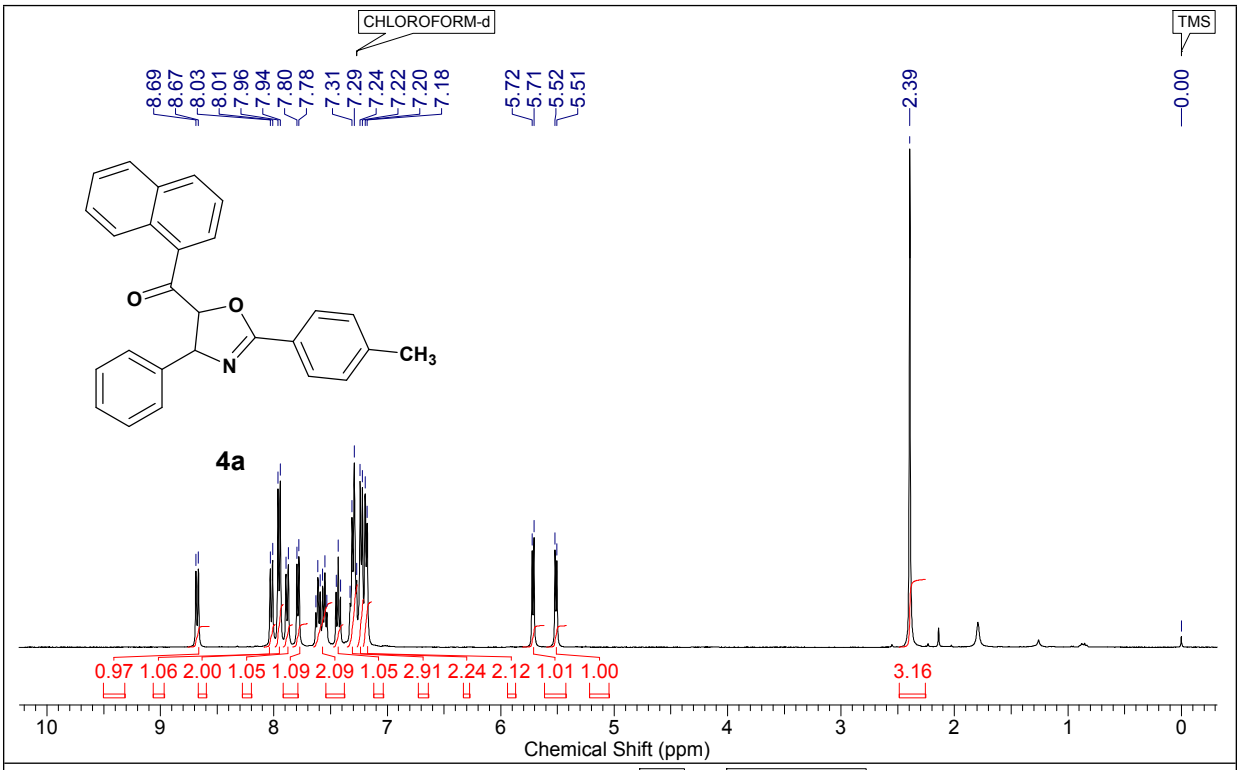


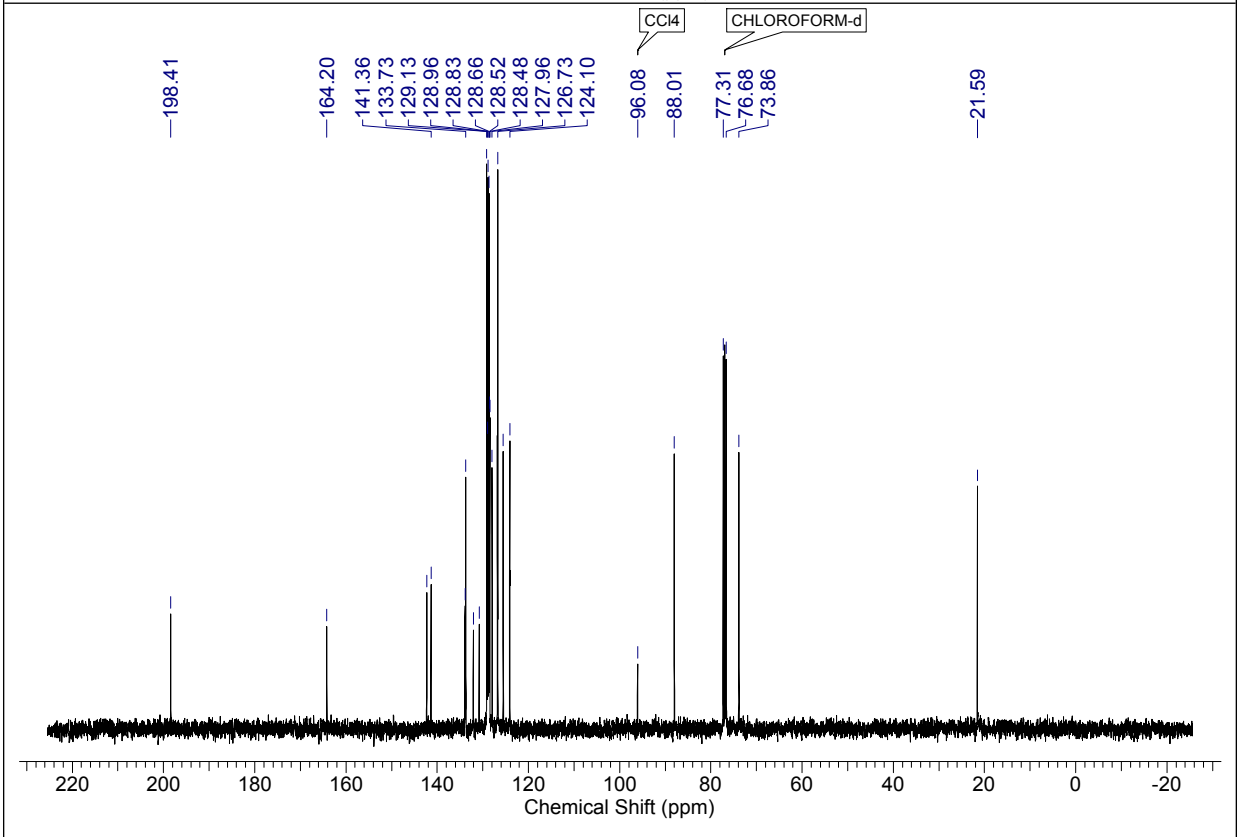


9-(tert-butyl)-4-(4-methoxyphenyl)-2-(p-tolyl)-1-oxa-3-azaspiro[4.5]dec-2-en-6-one (2g)

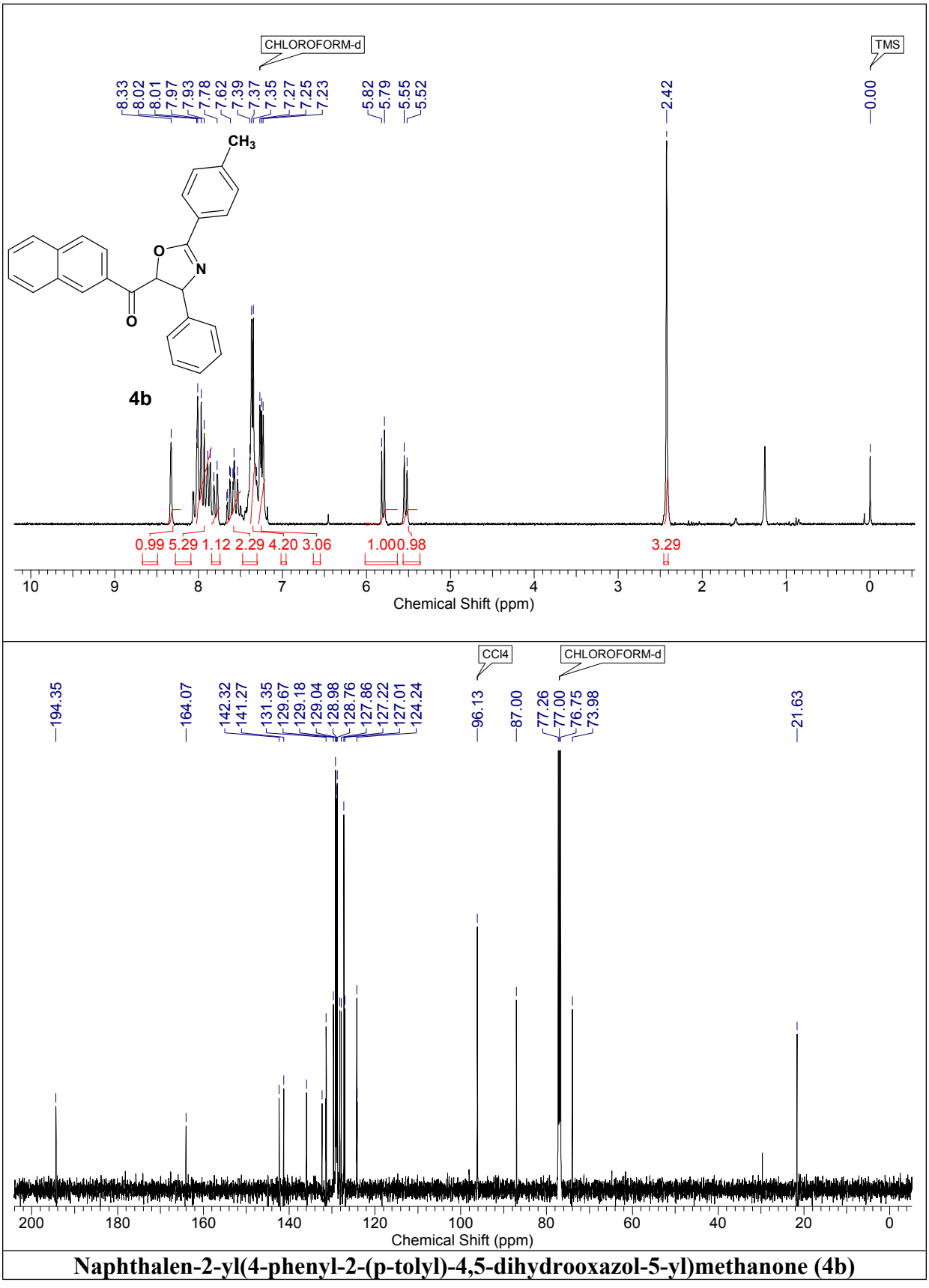


4-(4-fluorophenyl)-2-phenyl-1-oxa-3-azaspiro[4.6]undec-2-en-6-one (2h)

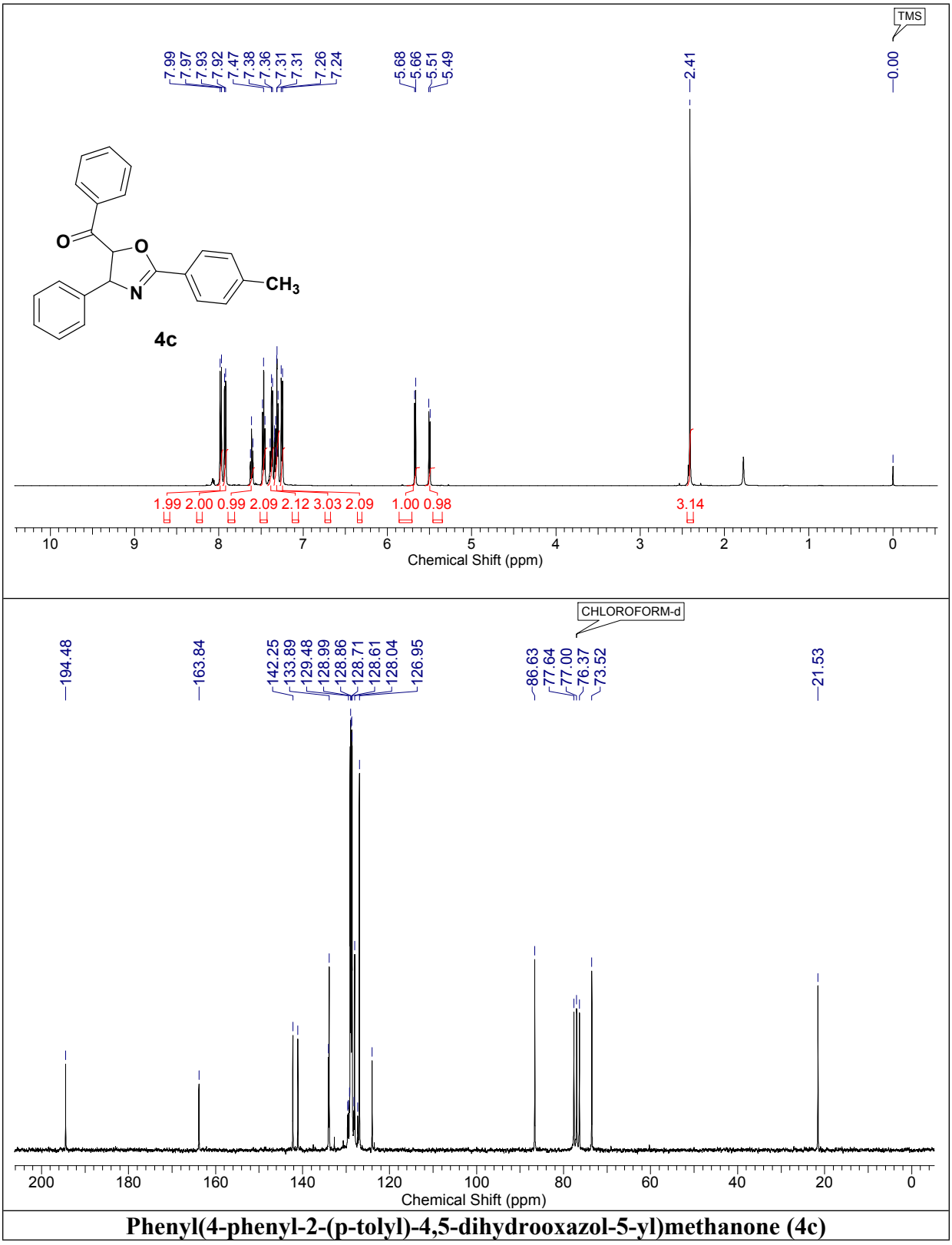




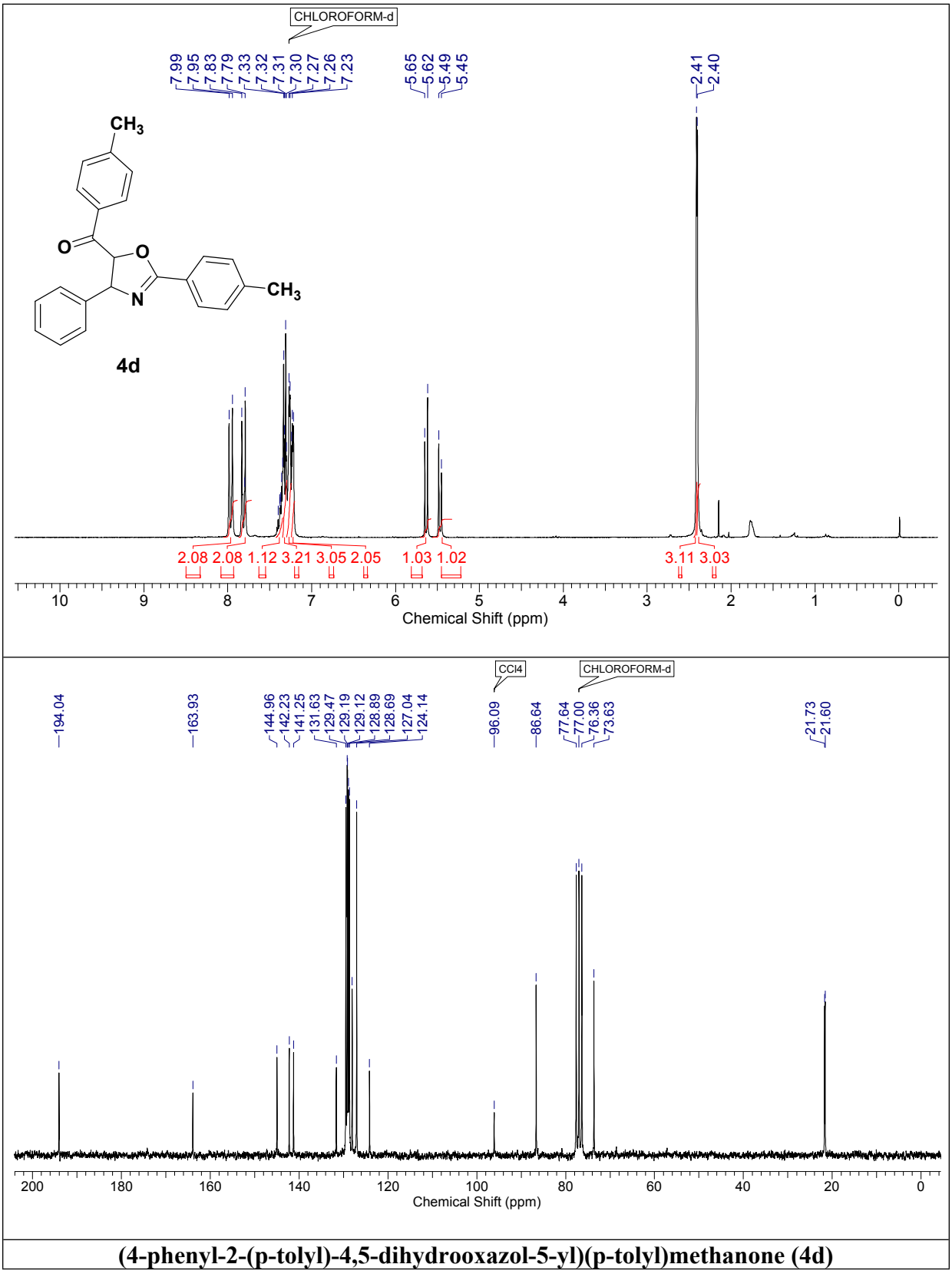
Naphthalen-1-yl(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4a)



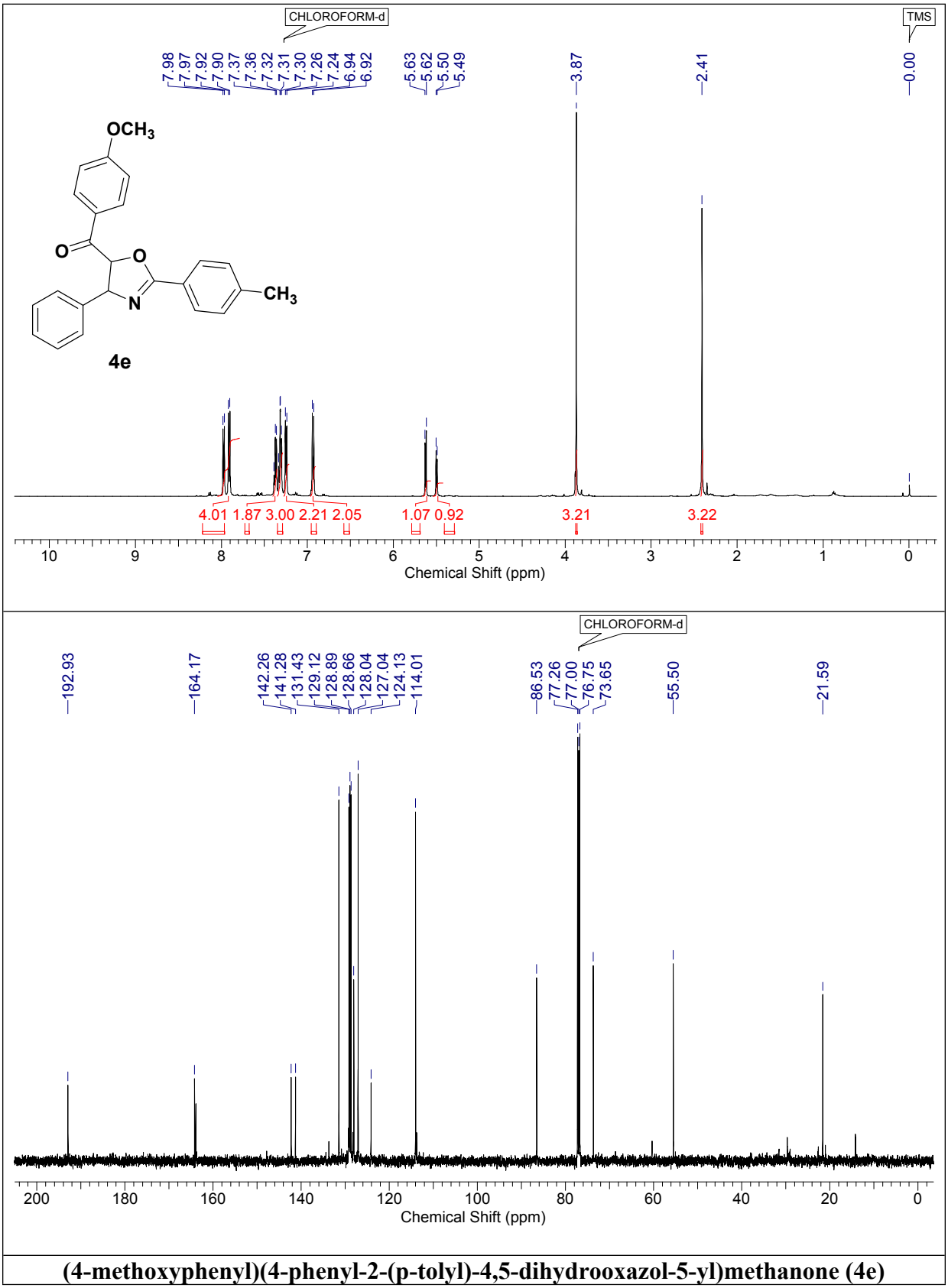
Naphthalen-2-yl(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4b)



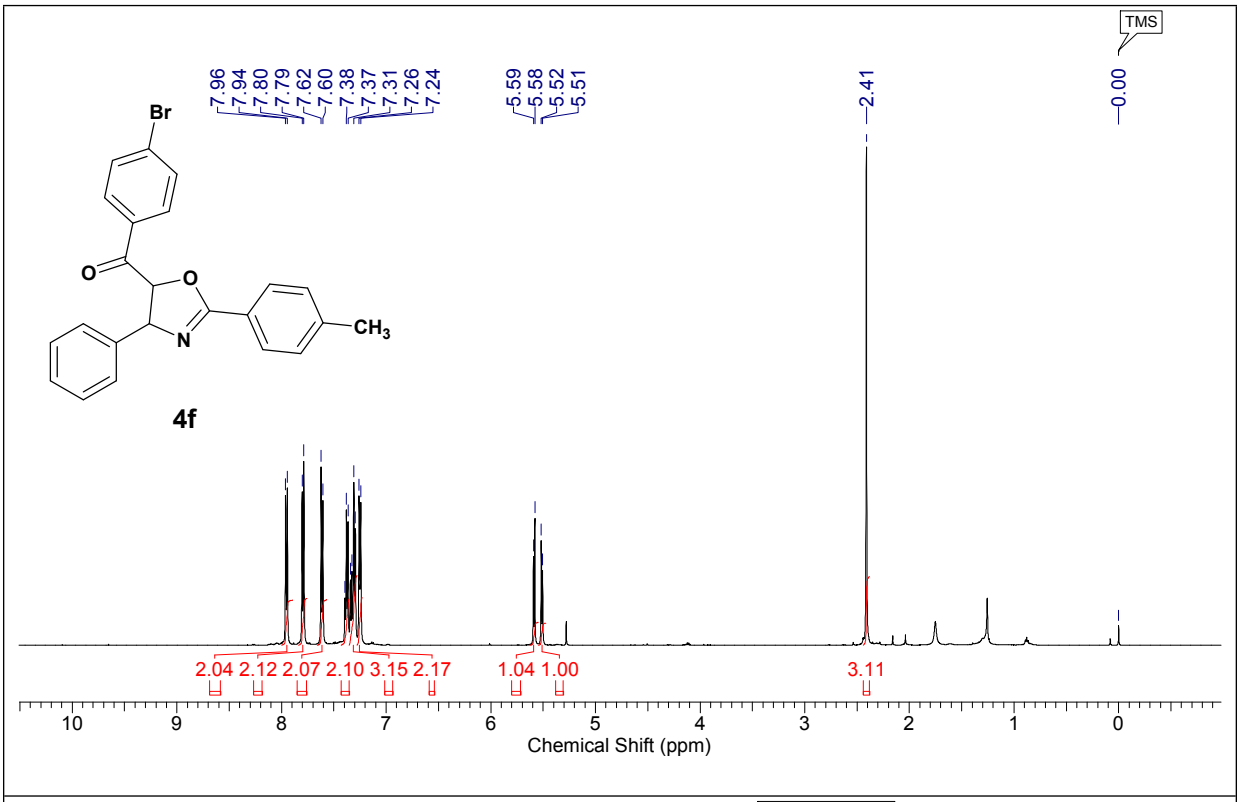
Phenyl(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4c)

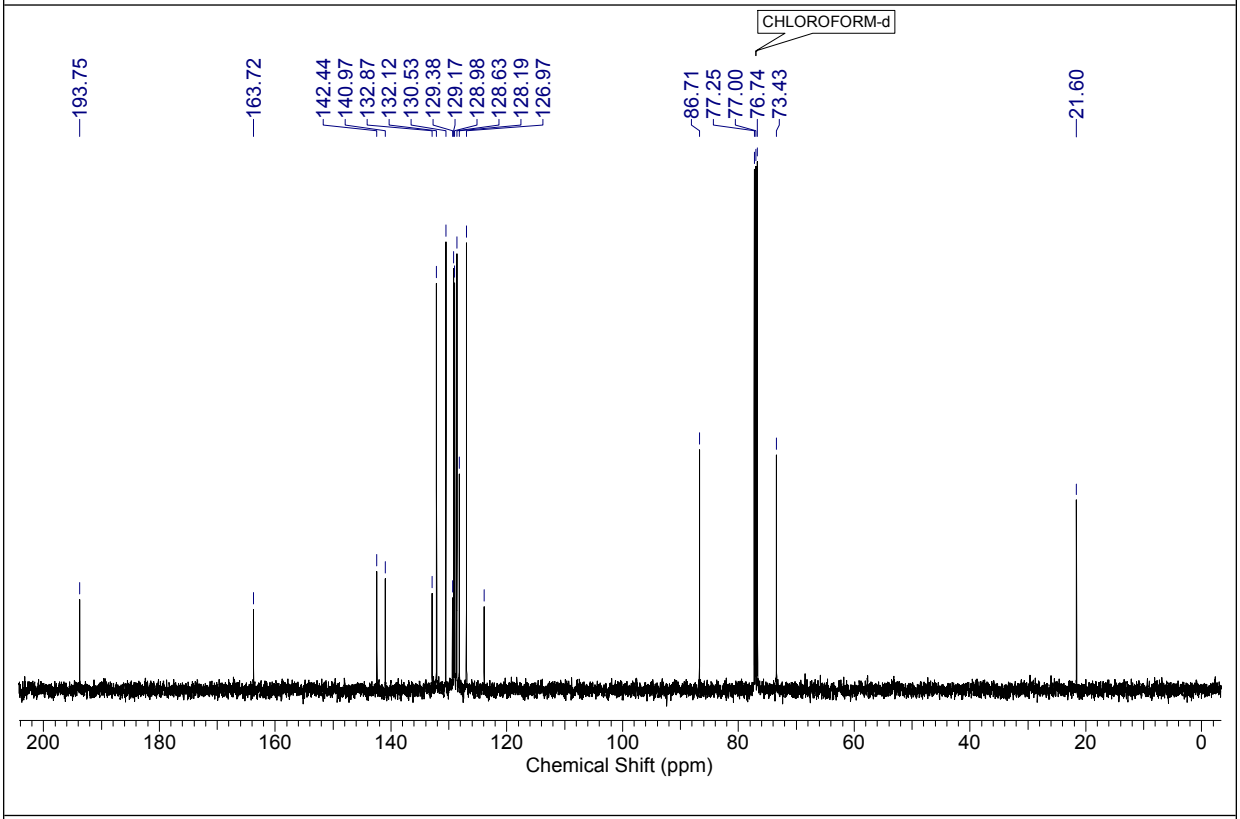


(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(p-tolyl)methanone (4d)

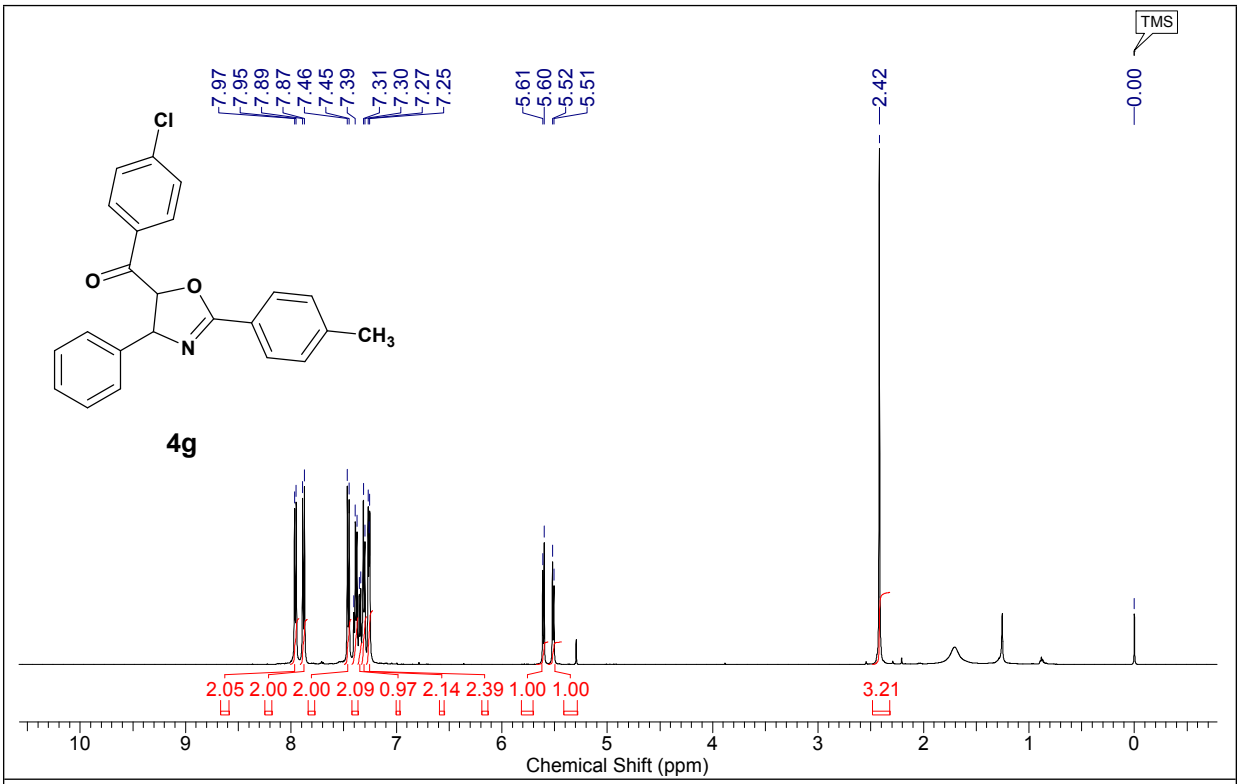


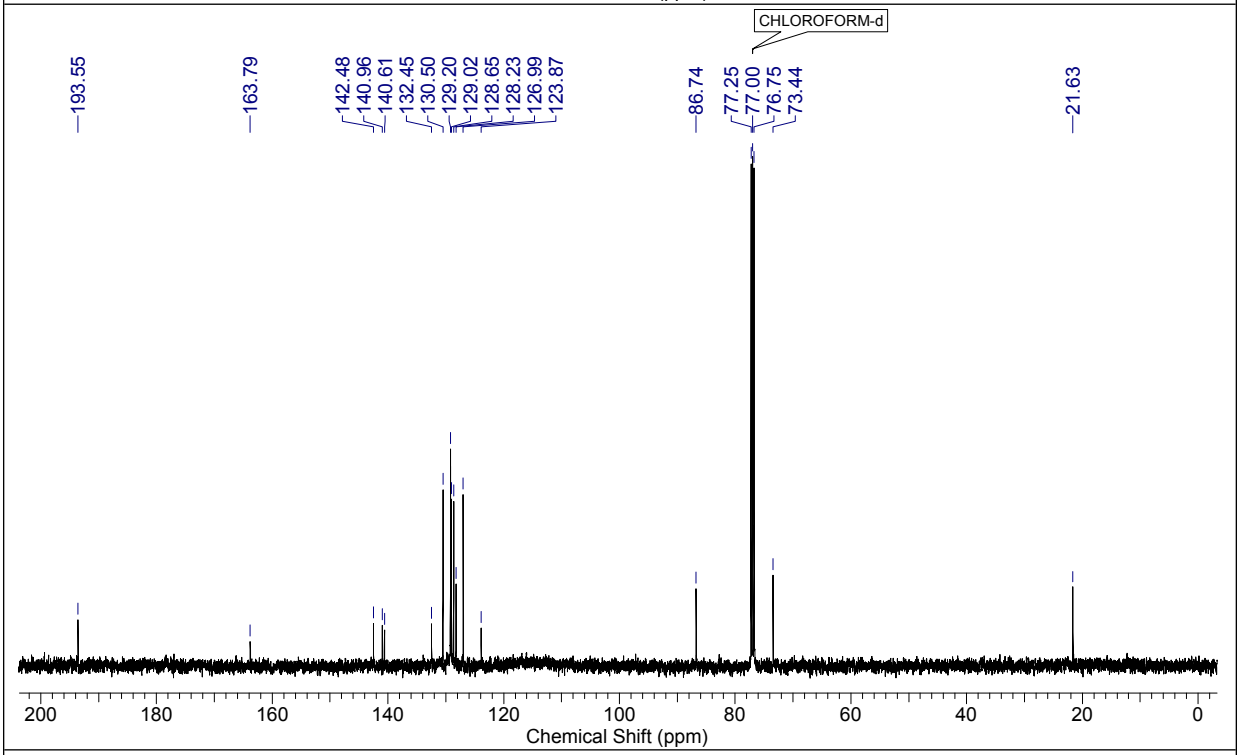
(4-methoxyphenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4e)



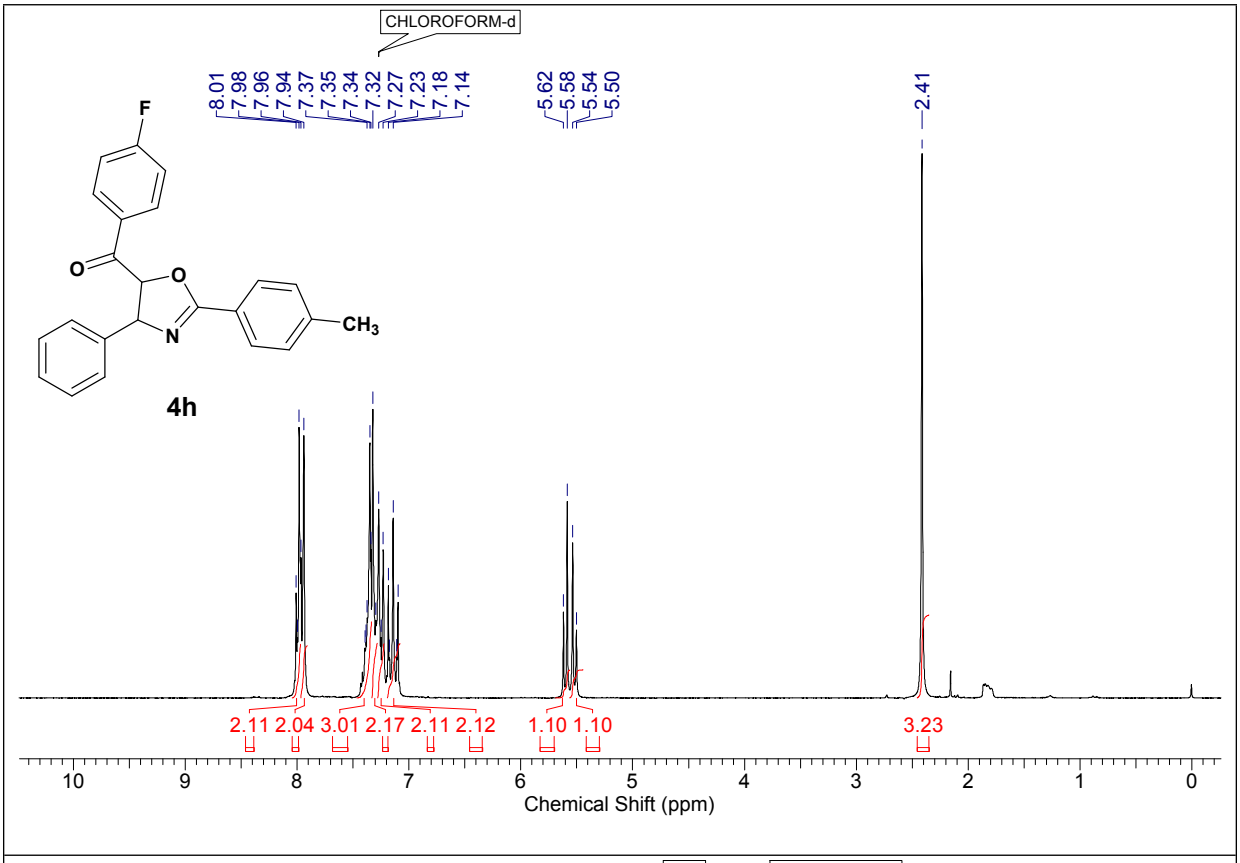


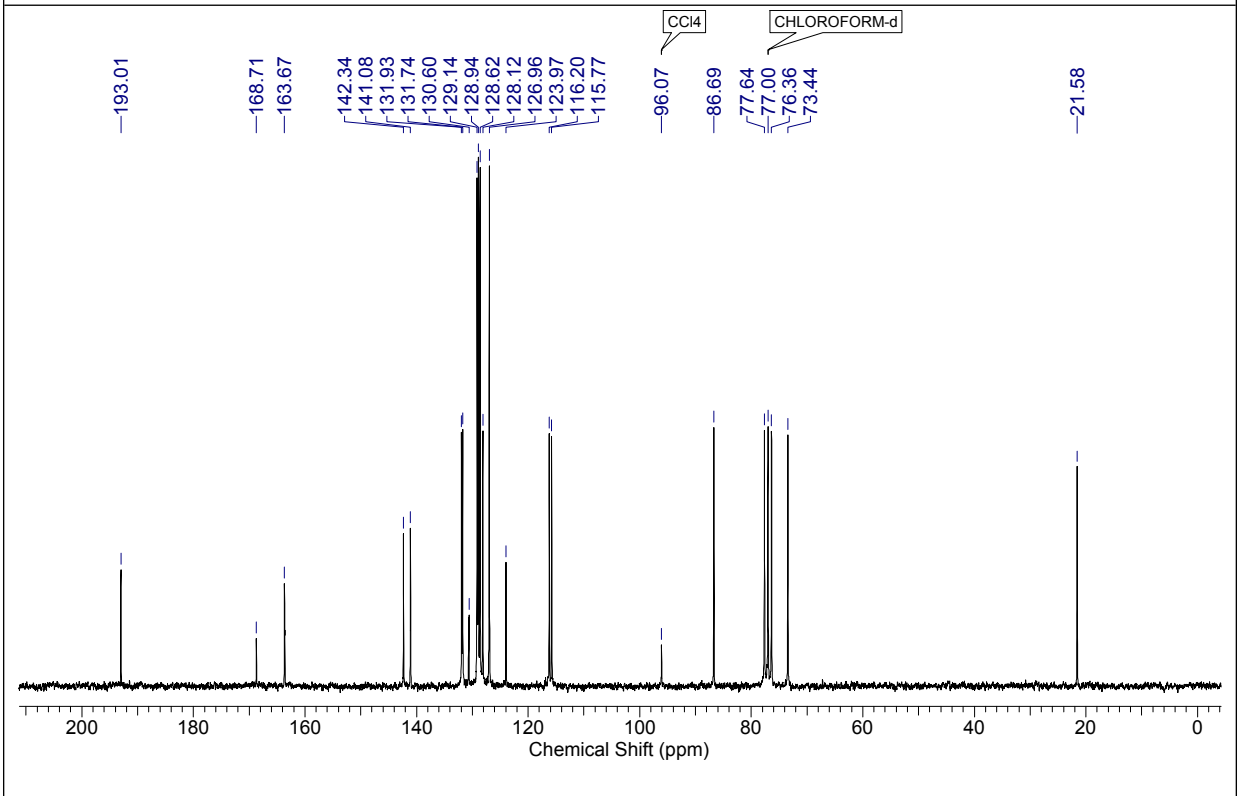
(4-bromophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4f)



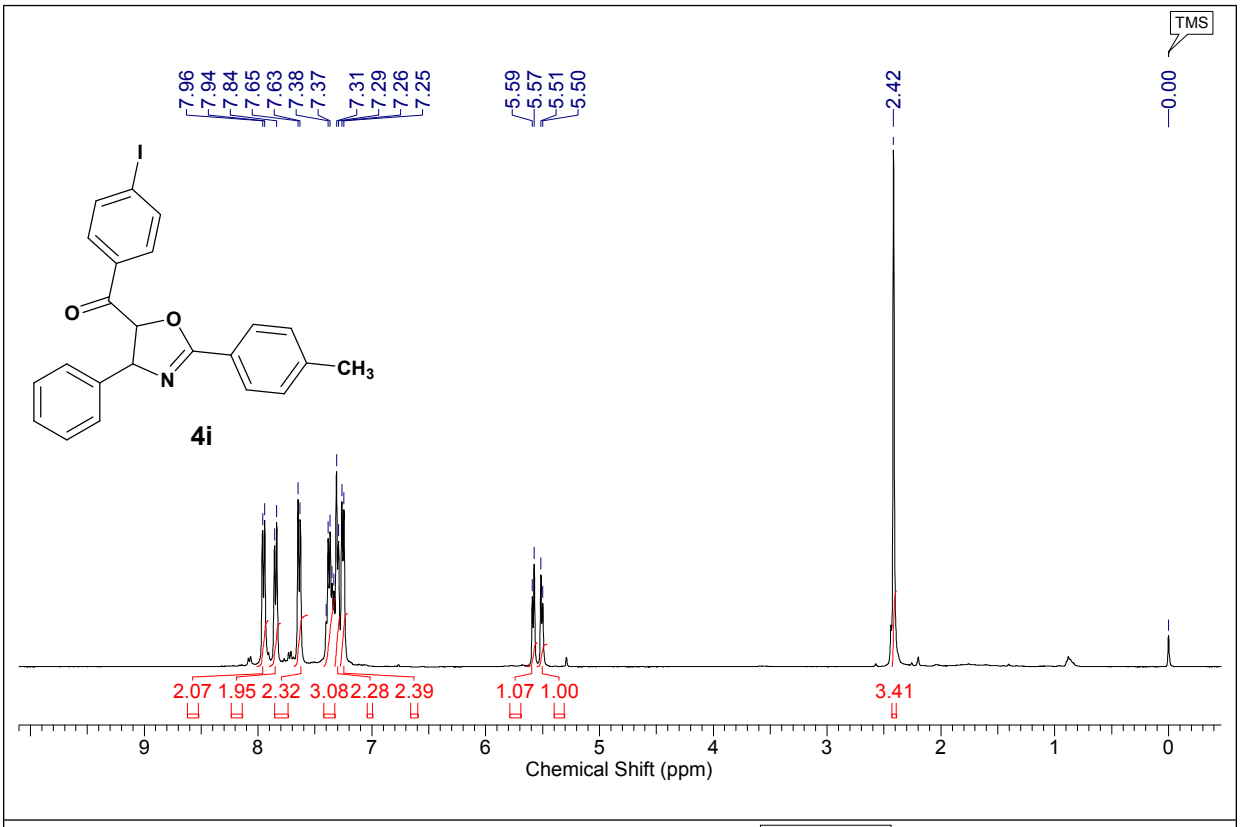


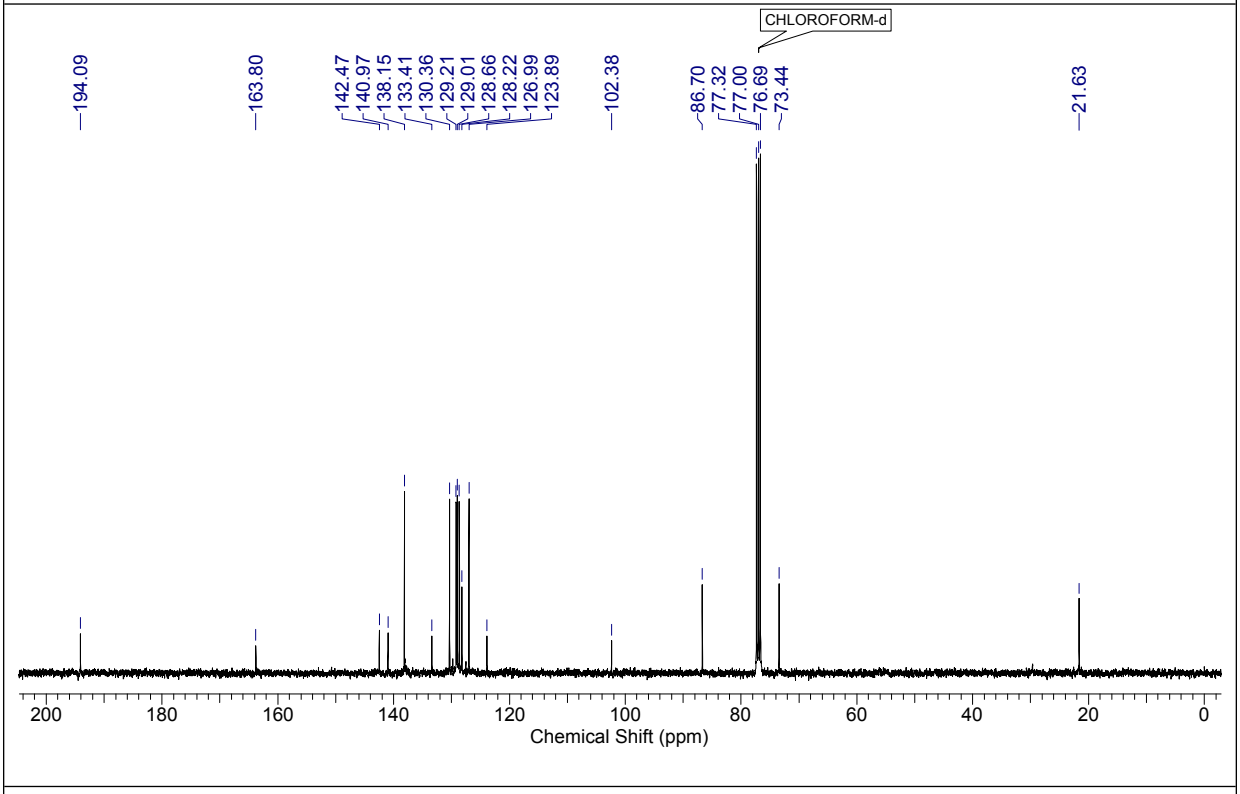
(4-chlorophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4g)



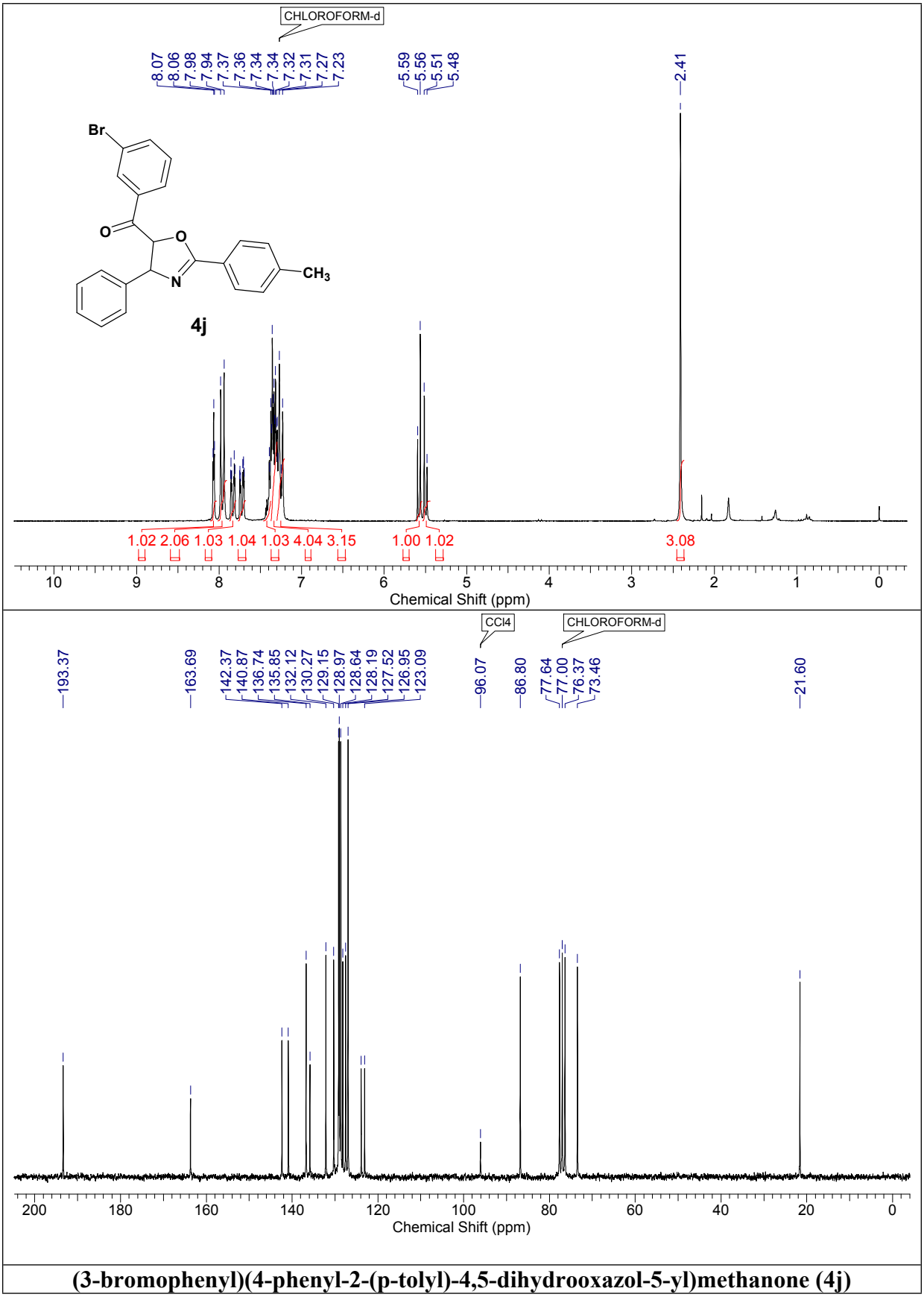


(4-fluorophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4h)

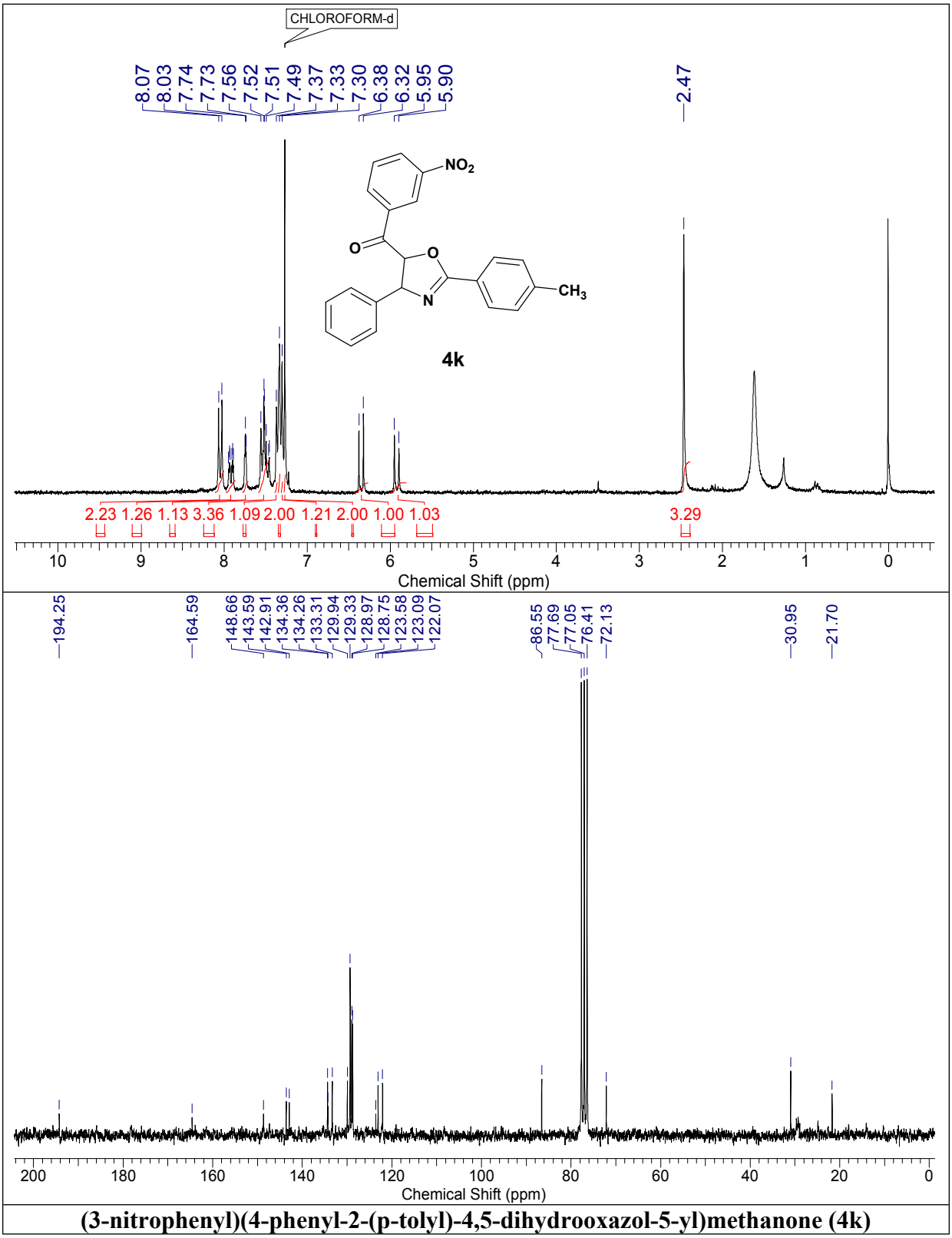




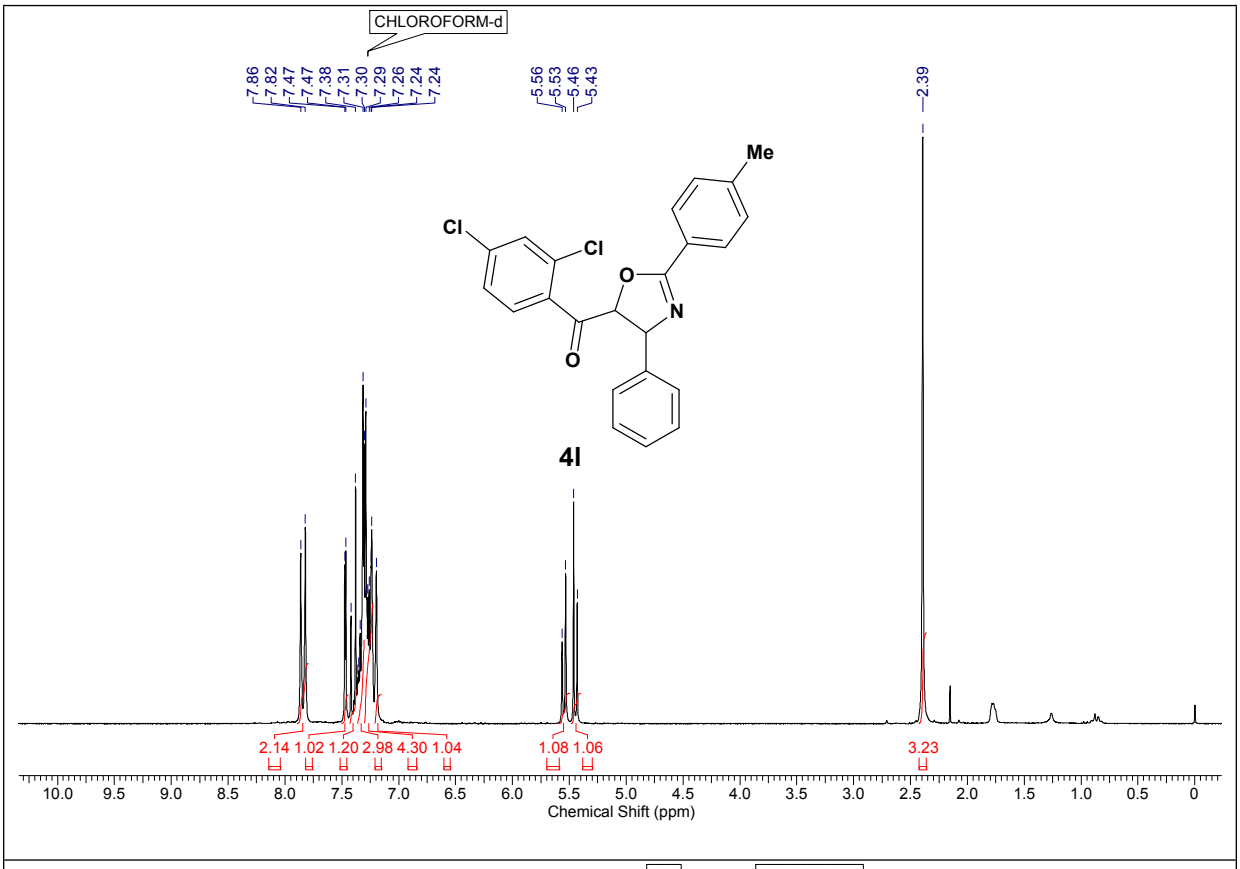
(4-iodophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4i)

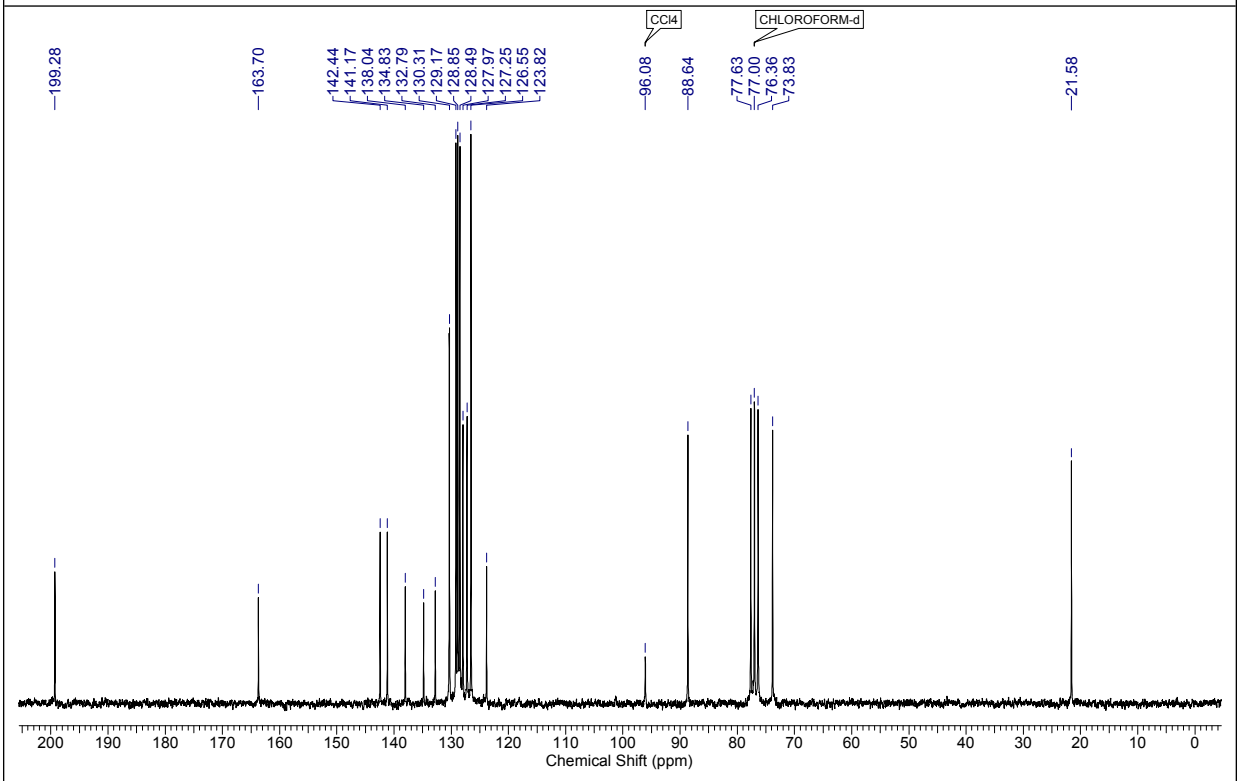


(3-bromophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4j)

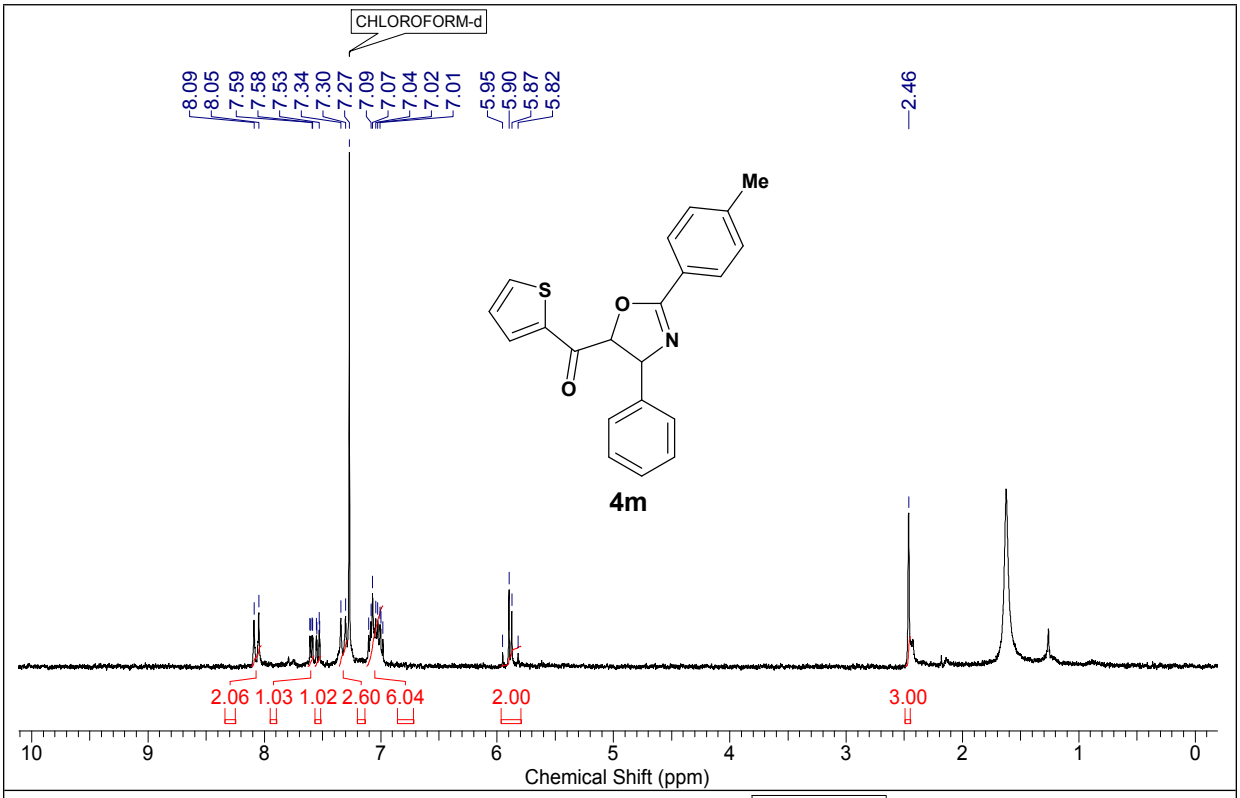


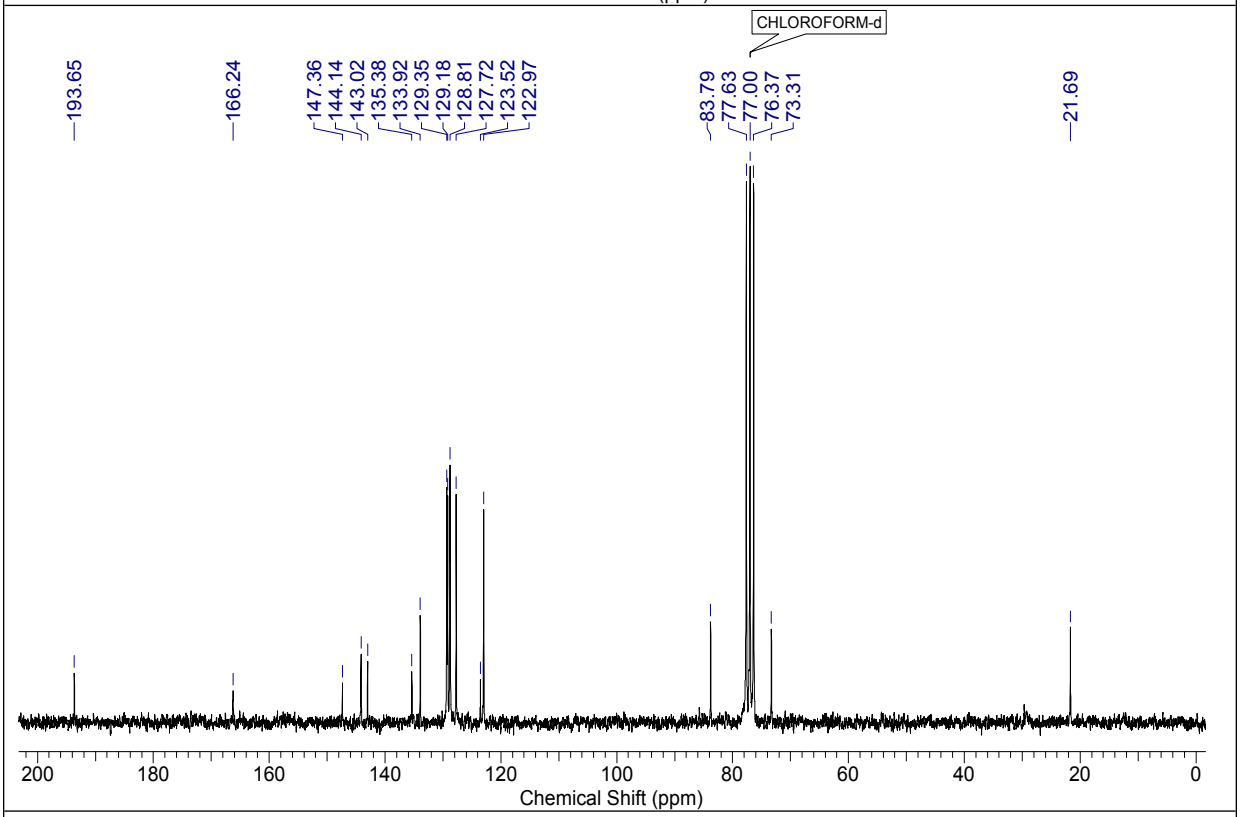
(3-nitrophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4k)



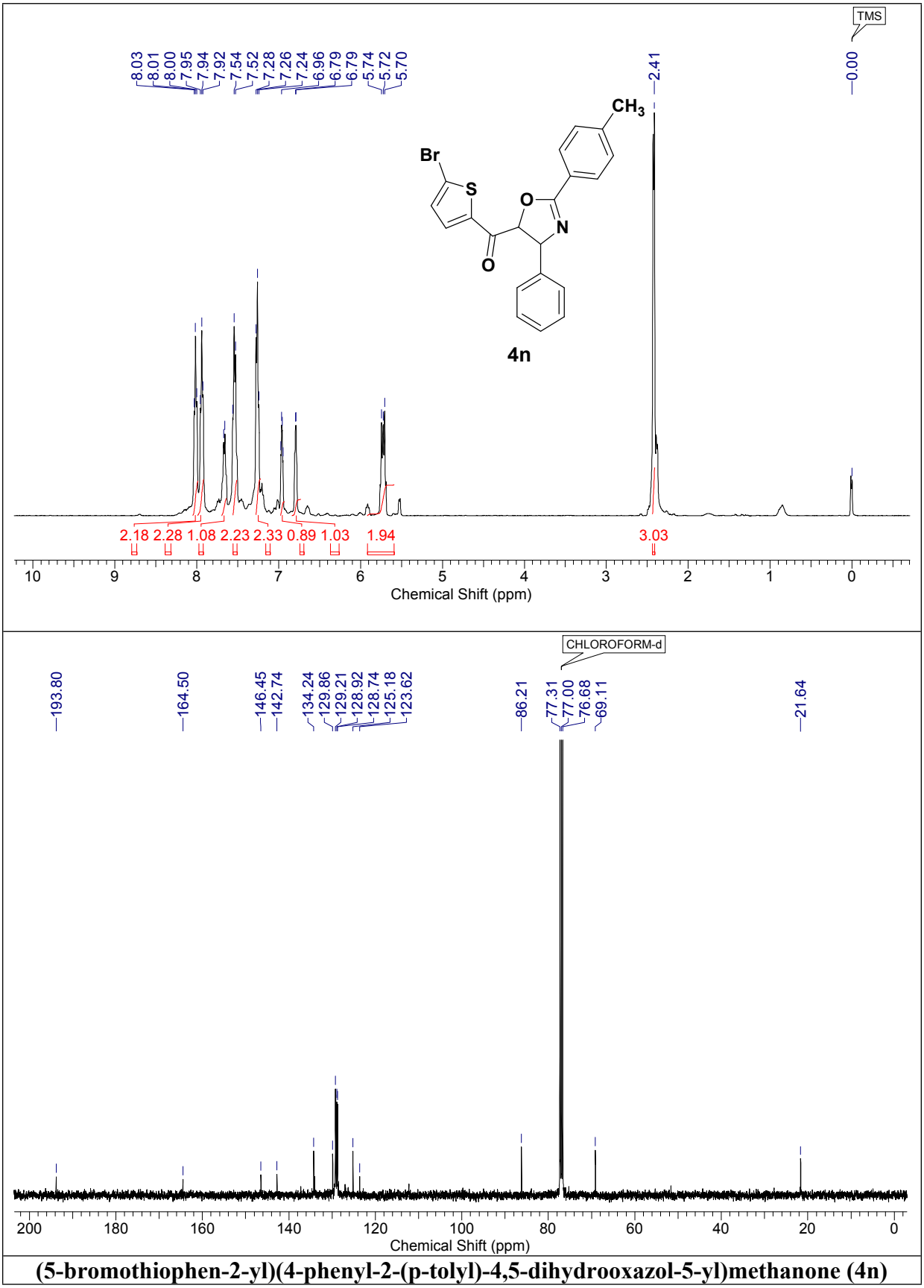


(2,4-dichlorophenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4l)

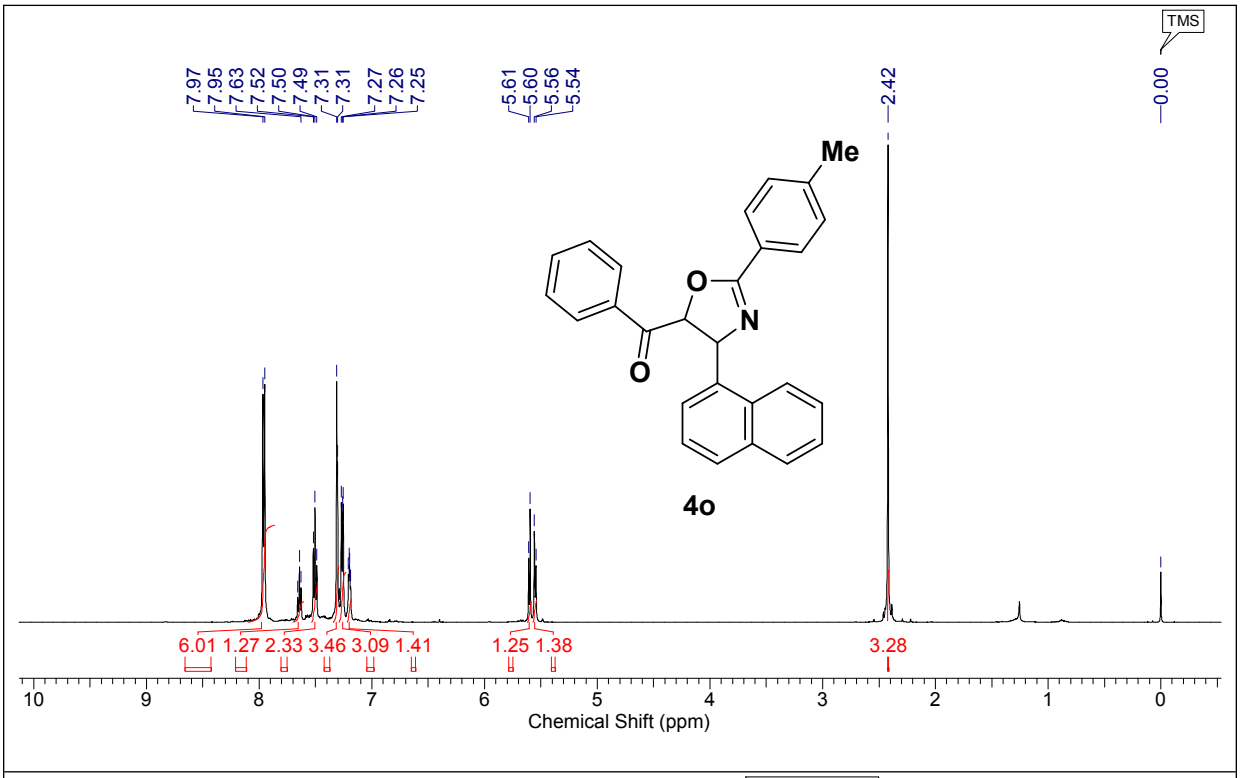


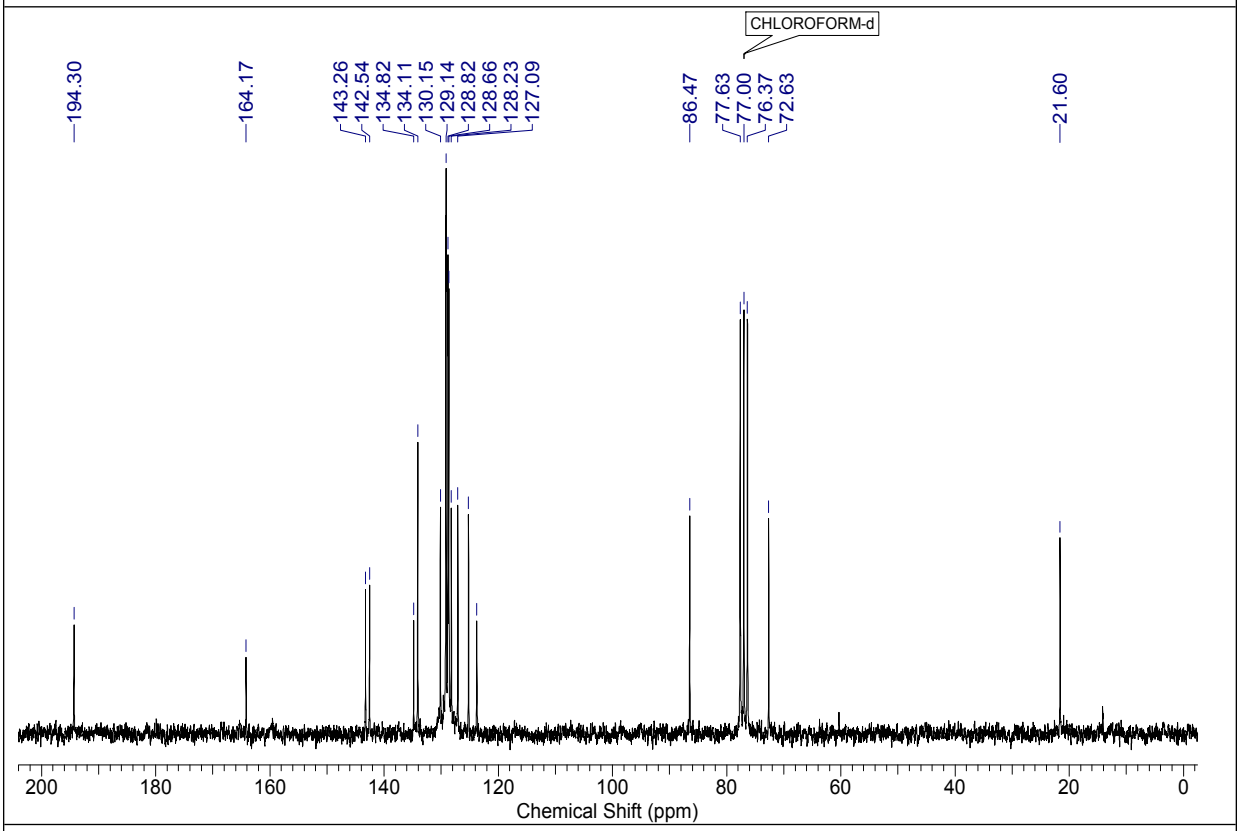


(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(thiophen-2-yl)methanone (4m)

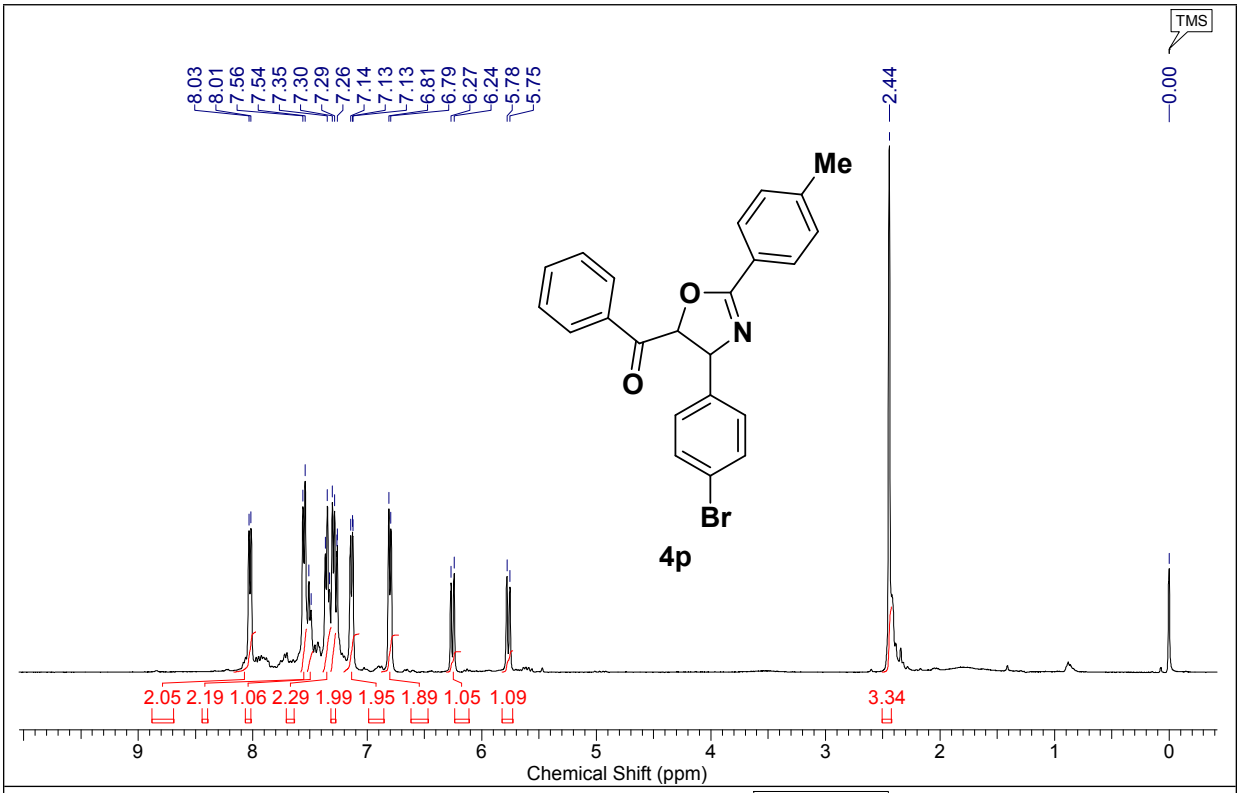


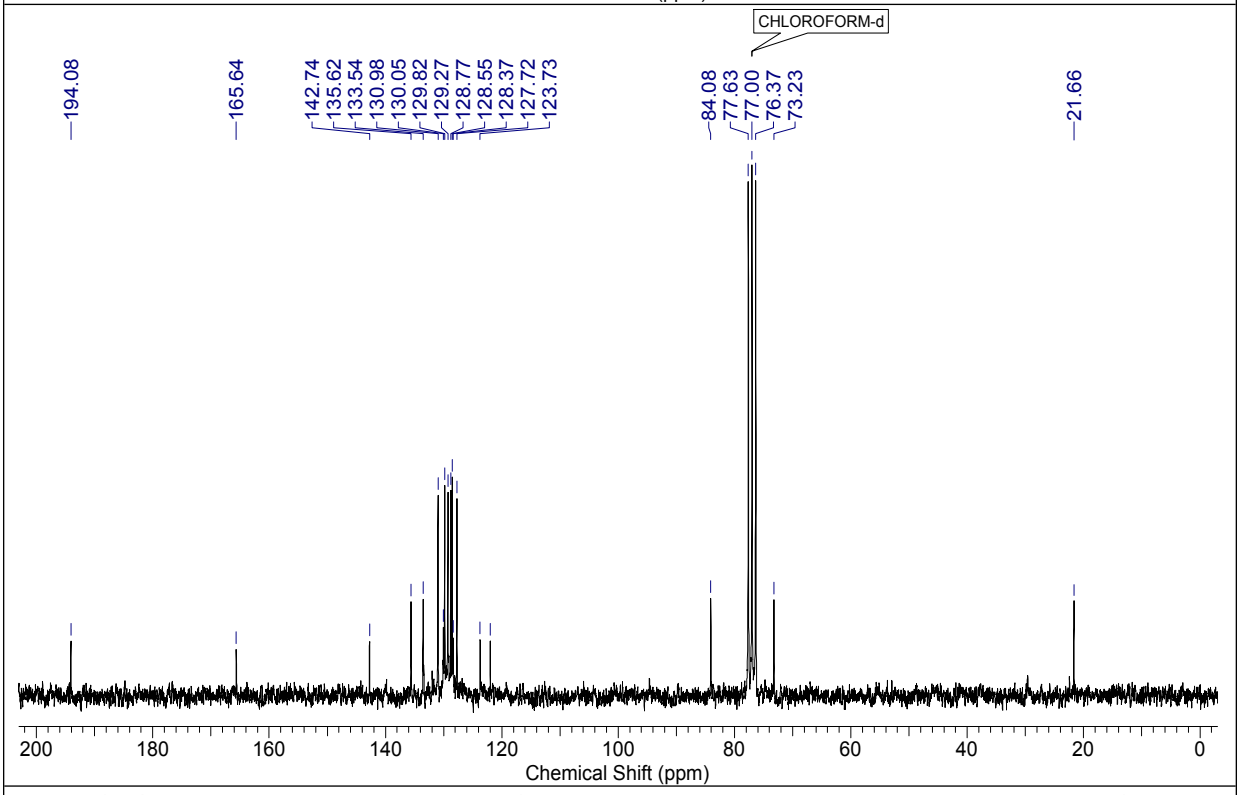
(5-bromothiophen-2-yl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4n)



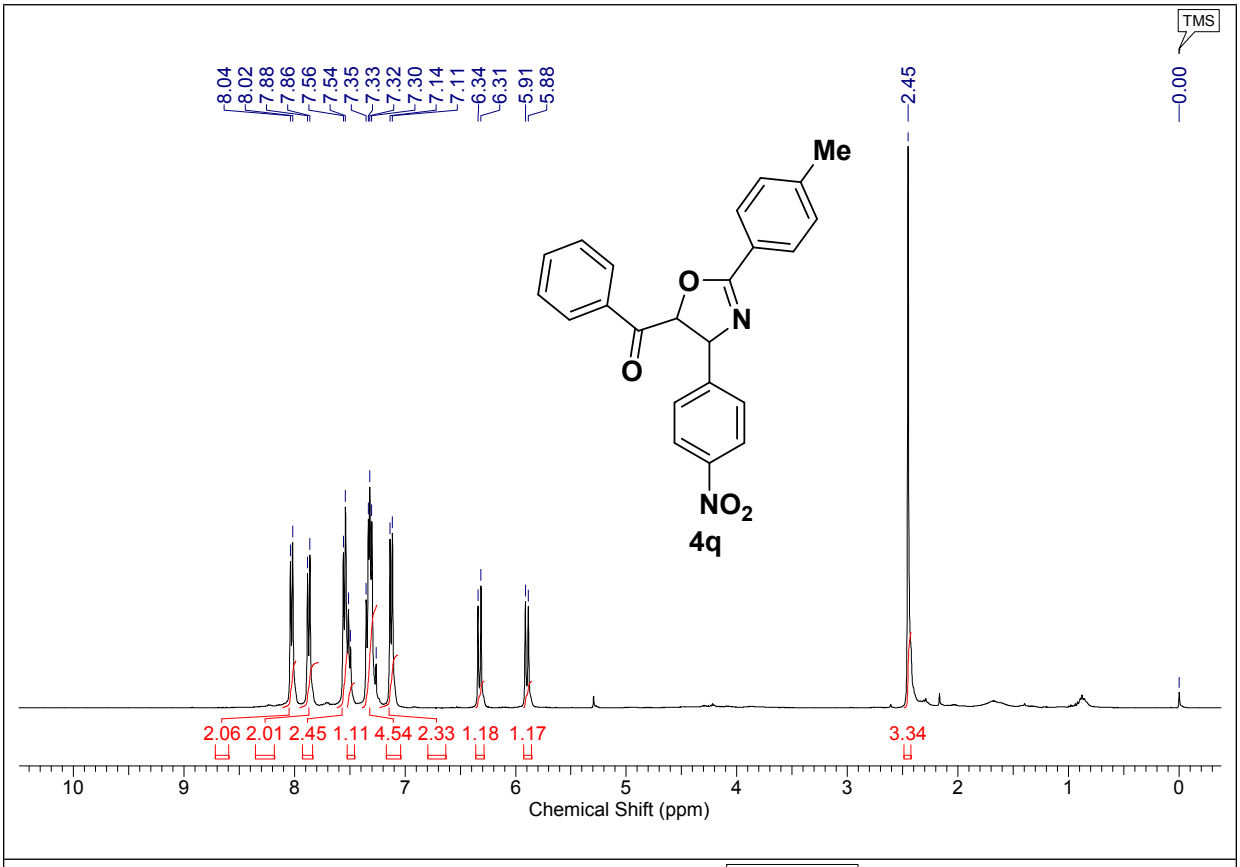


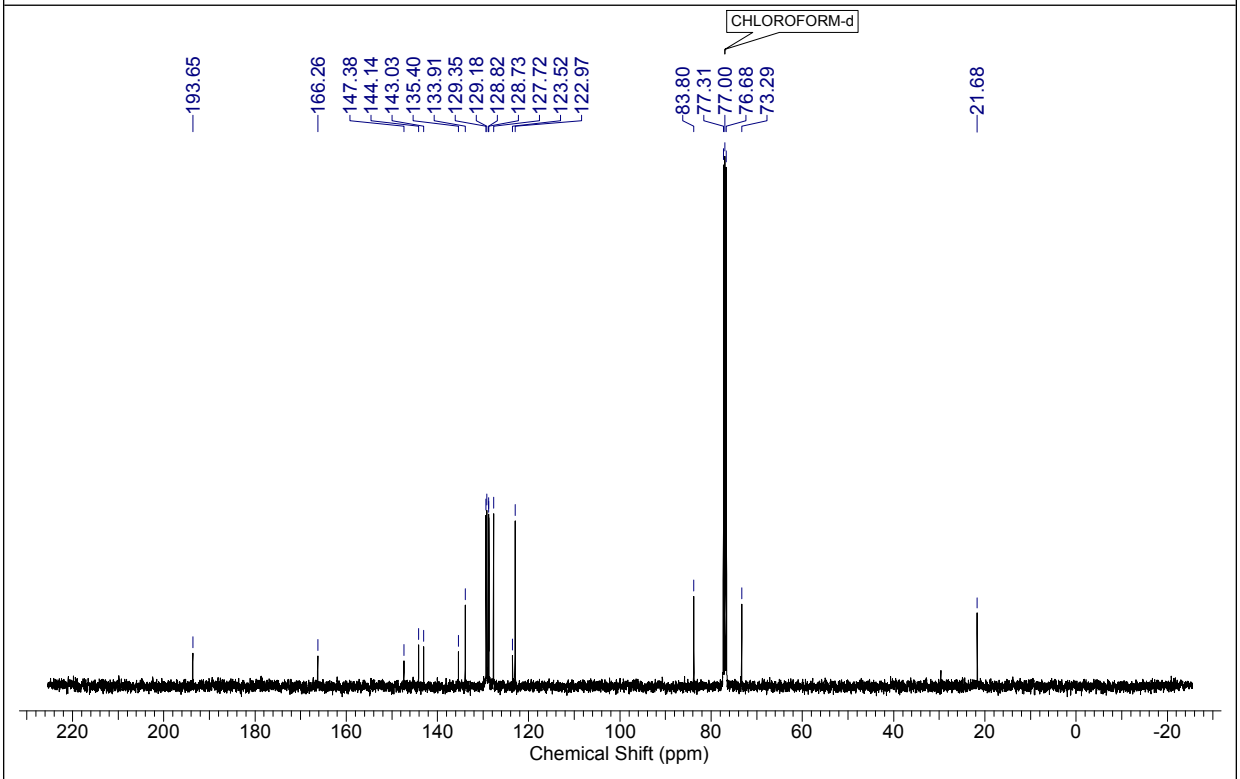
(4-(naphthalen-1-yl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4o)



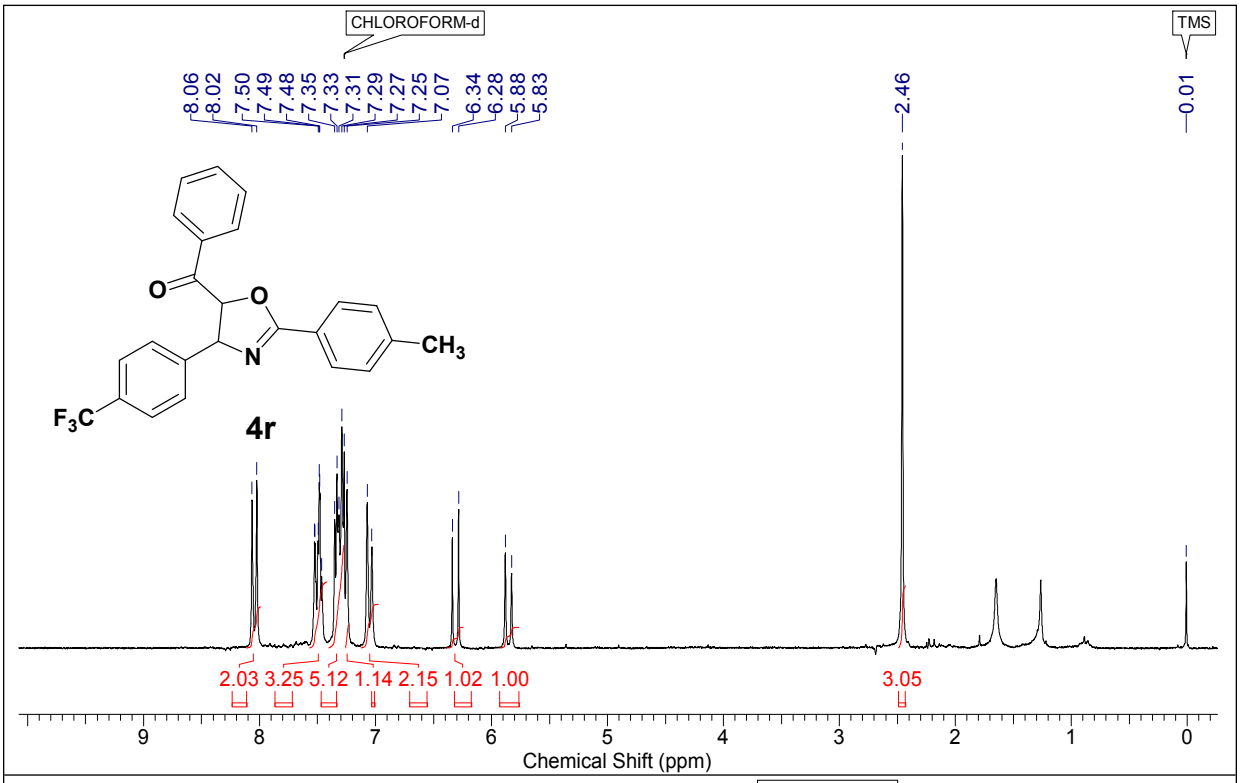


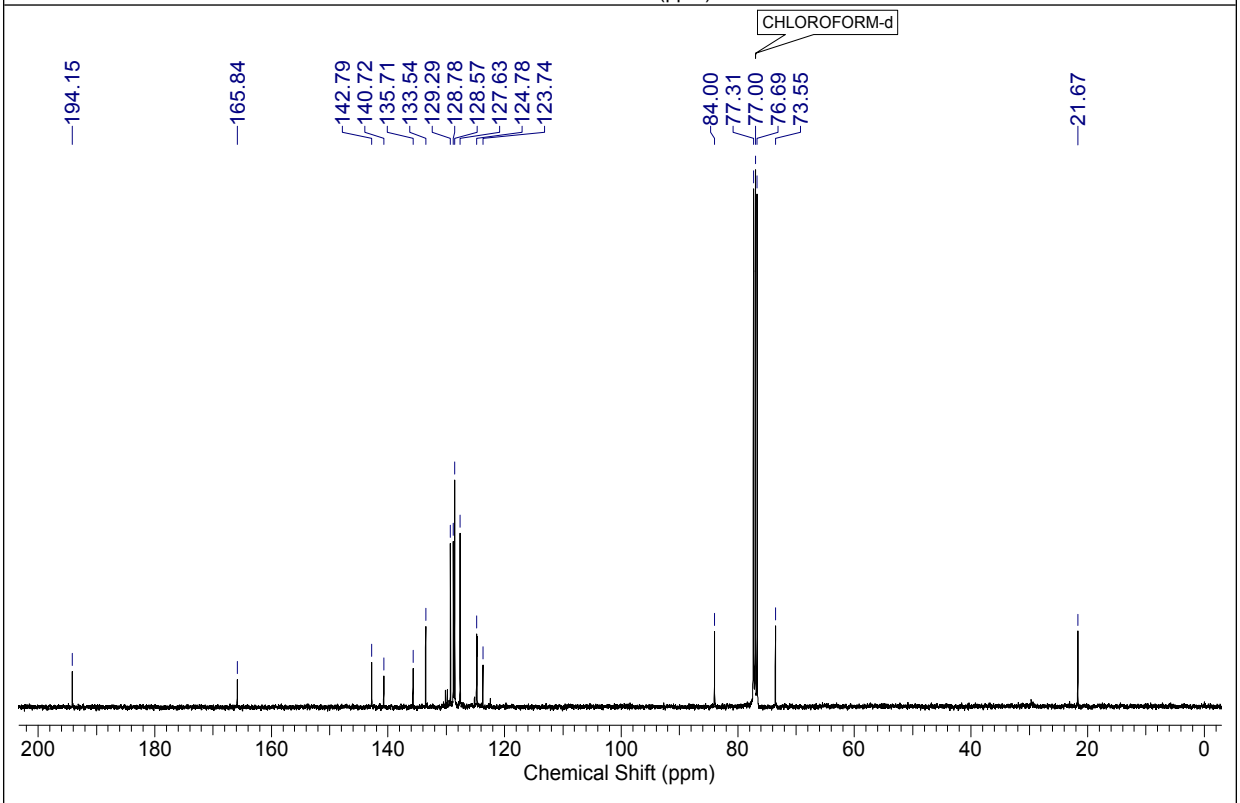
(4-(4-bromophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4p)



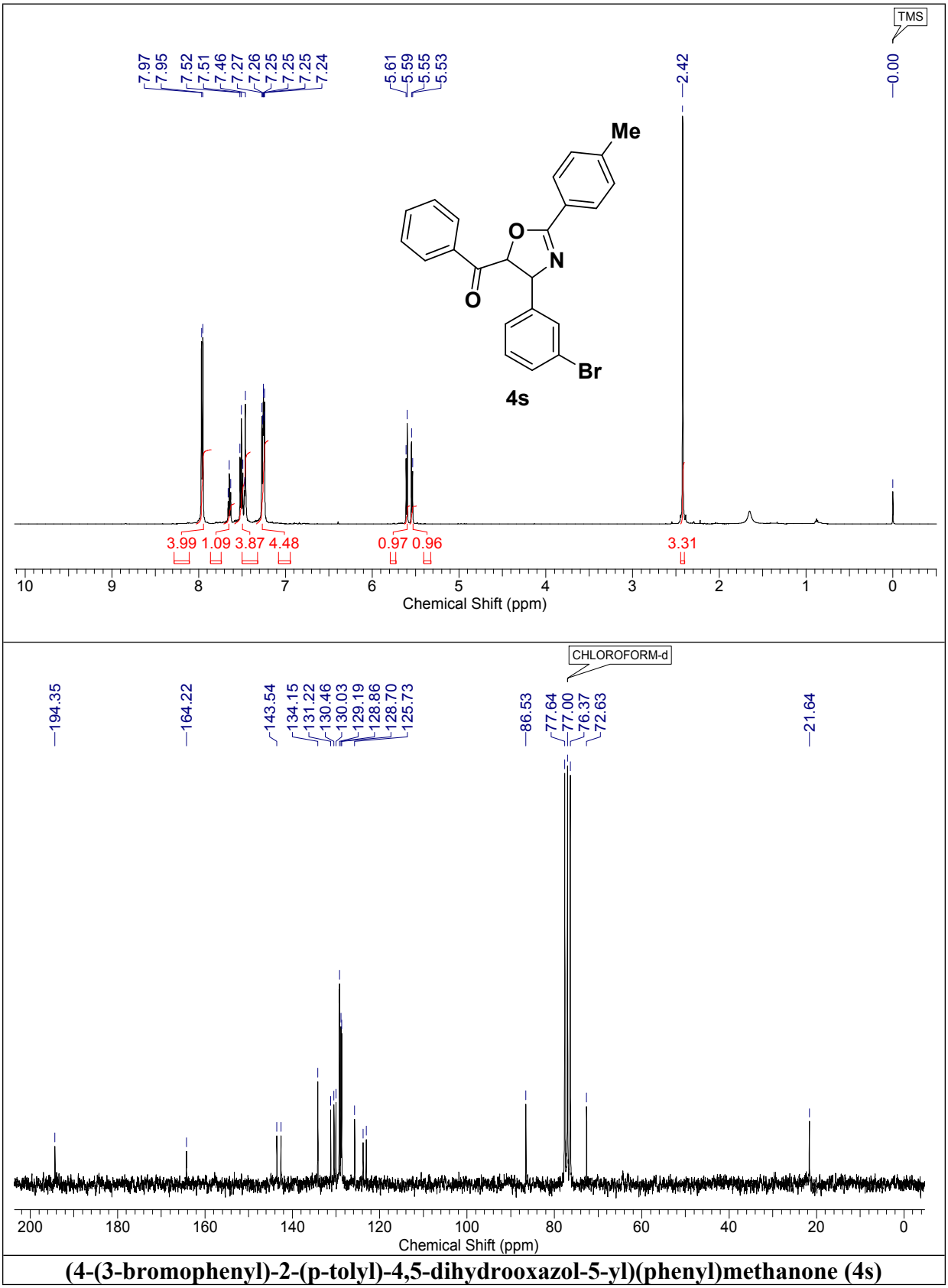


(4-(4-nitrophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4q)

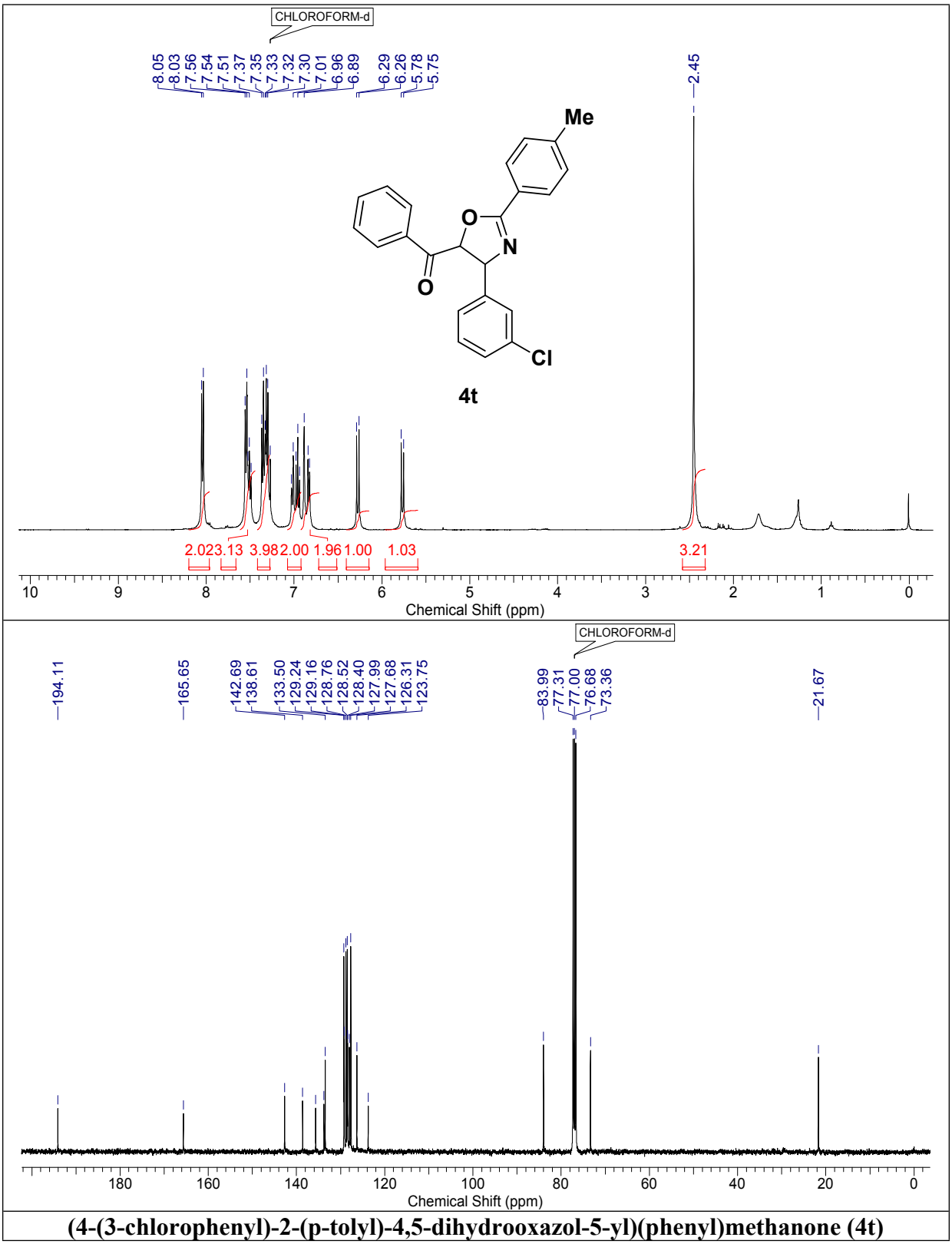




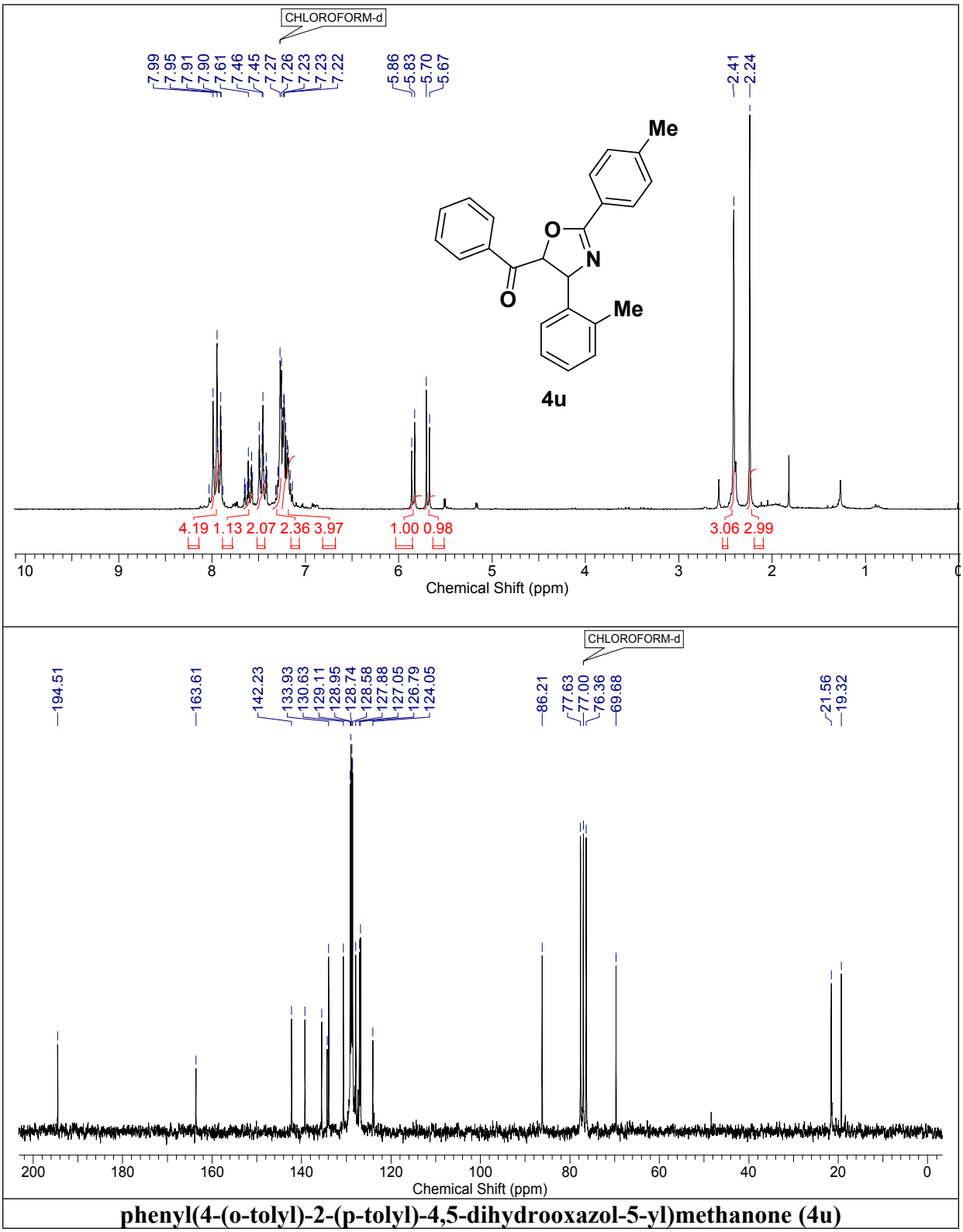
Phenyl(2-(p-tolyl)-4-(4-(trifluoromethyl)phenyl)-4,5-dihydrooxazol-5-yl)methanone (4r)



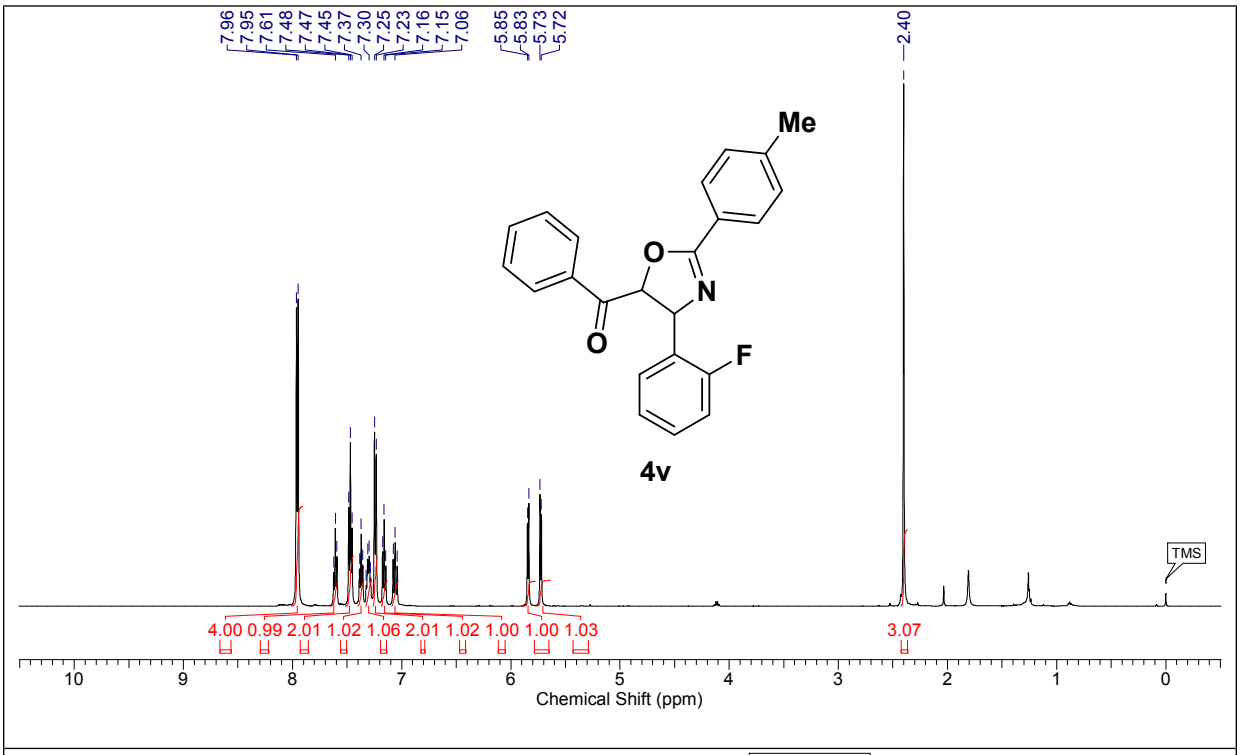
(4-(3-bromophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4s)

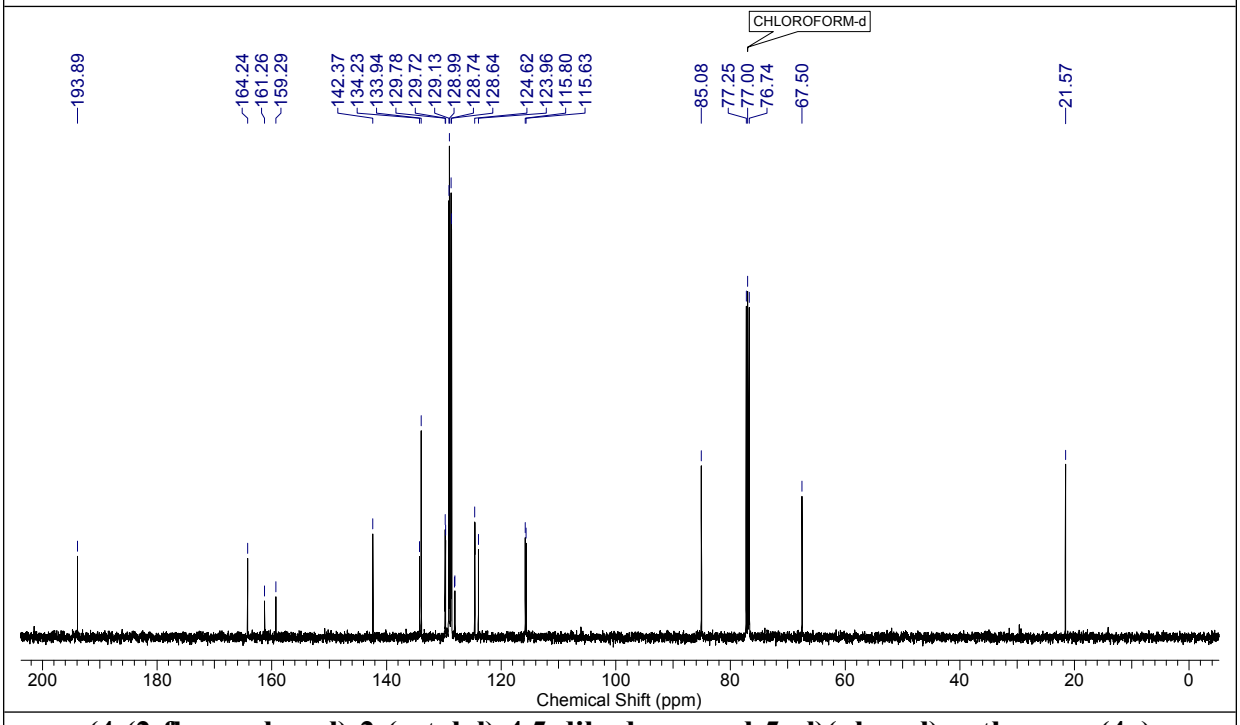


(4-(3-chlorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4t)

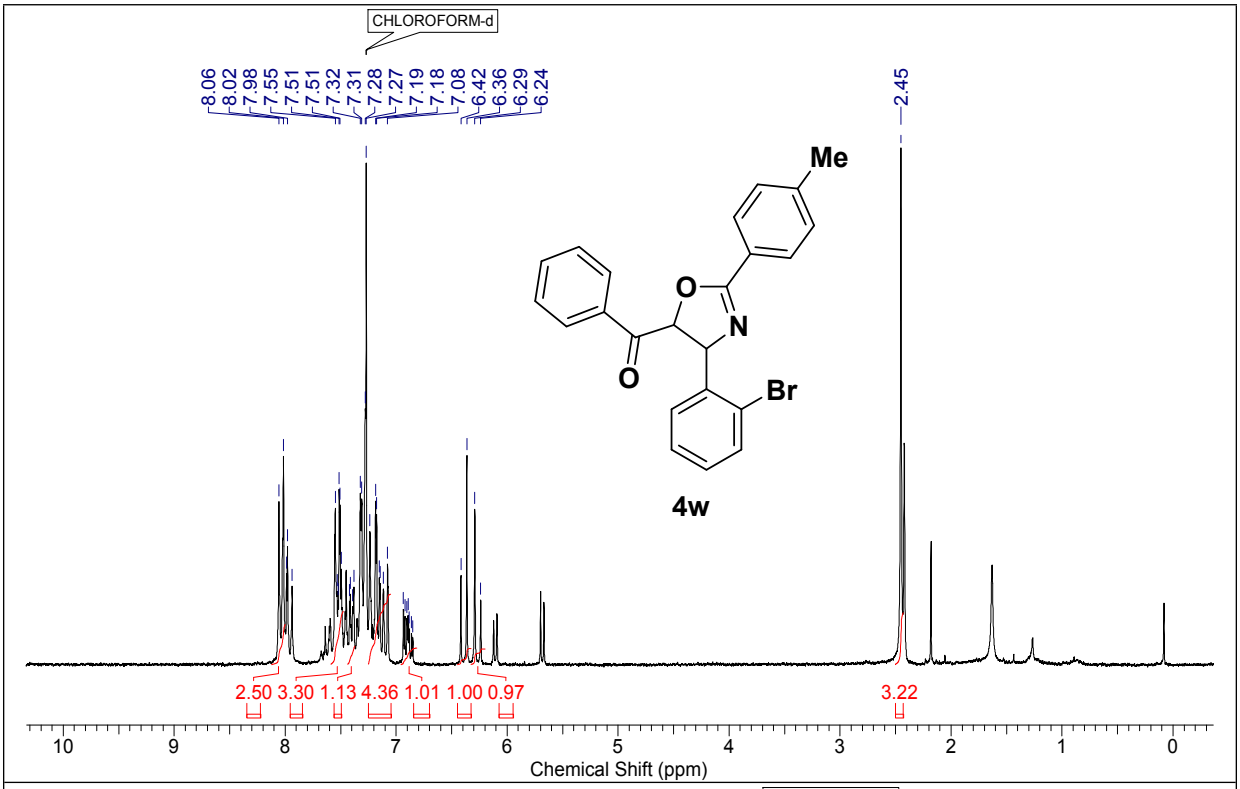


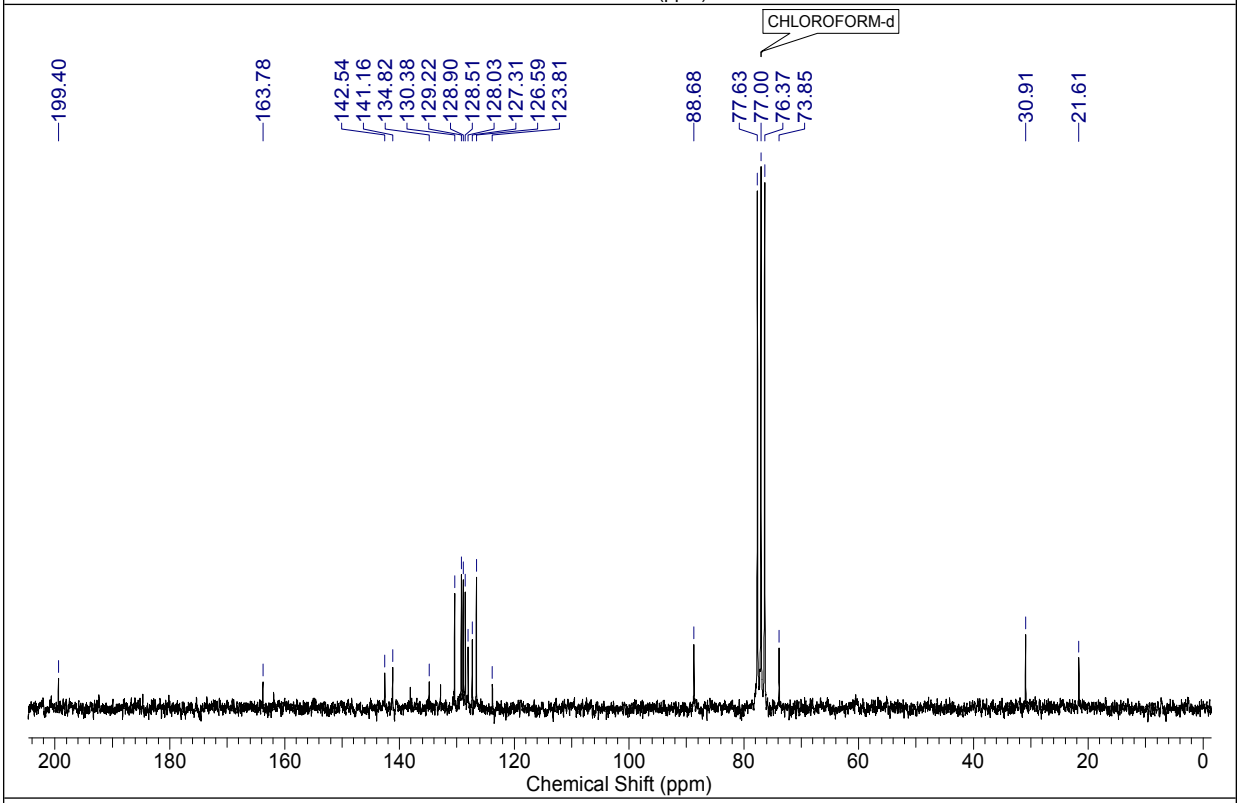
phenyl(4-(o-tolyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4u)



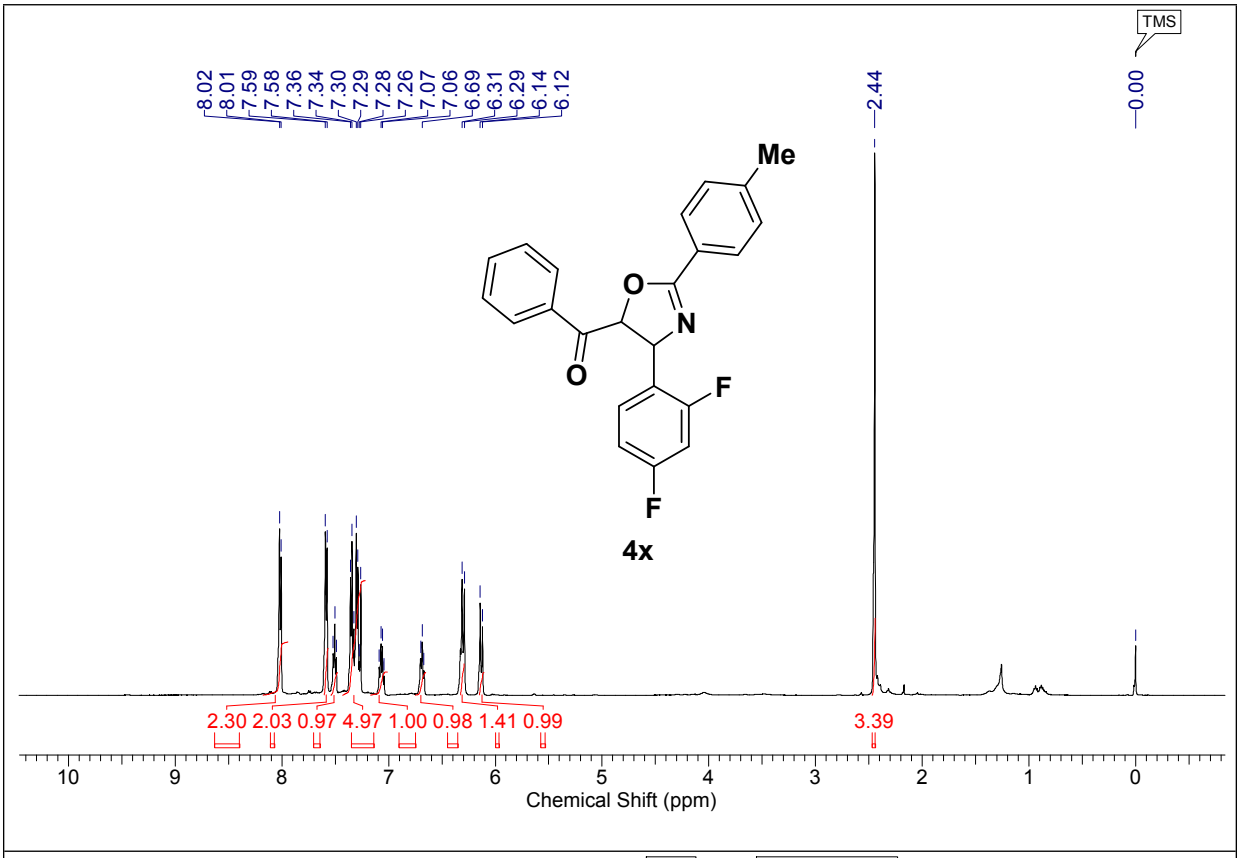


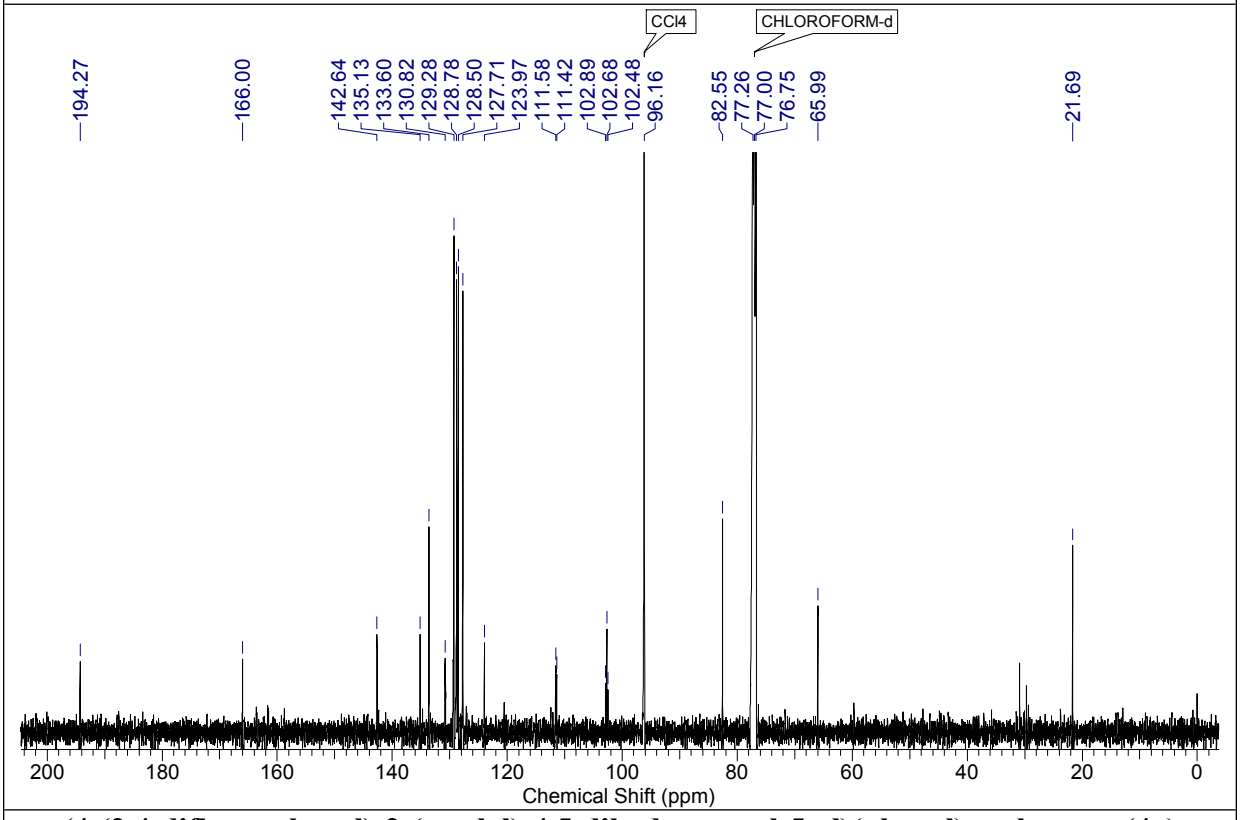
(4-(2-fluorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4v)



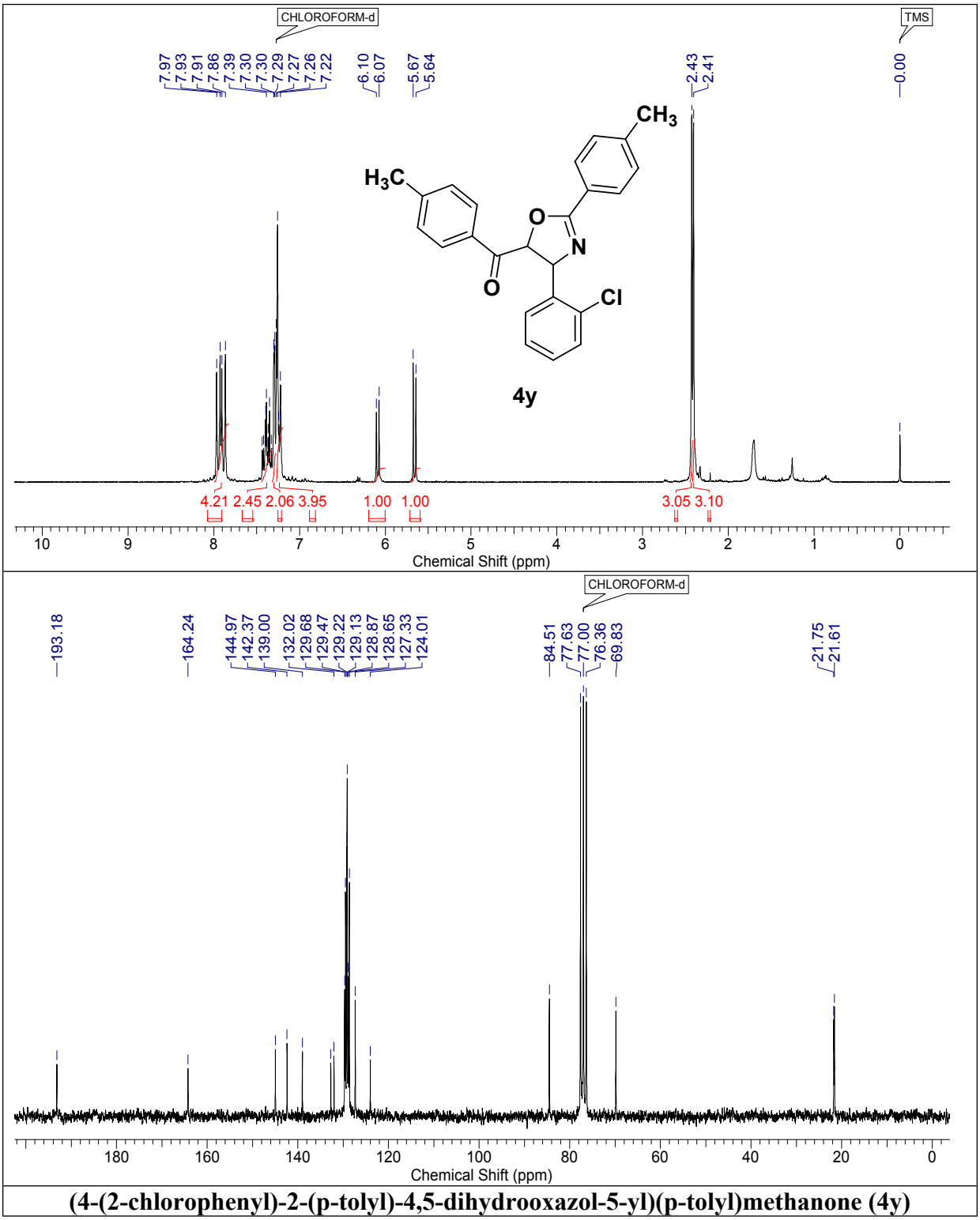


(4-(2-bromophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4w)

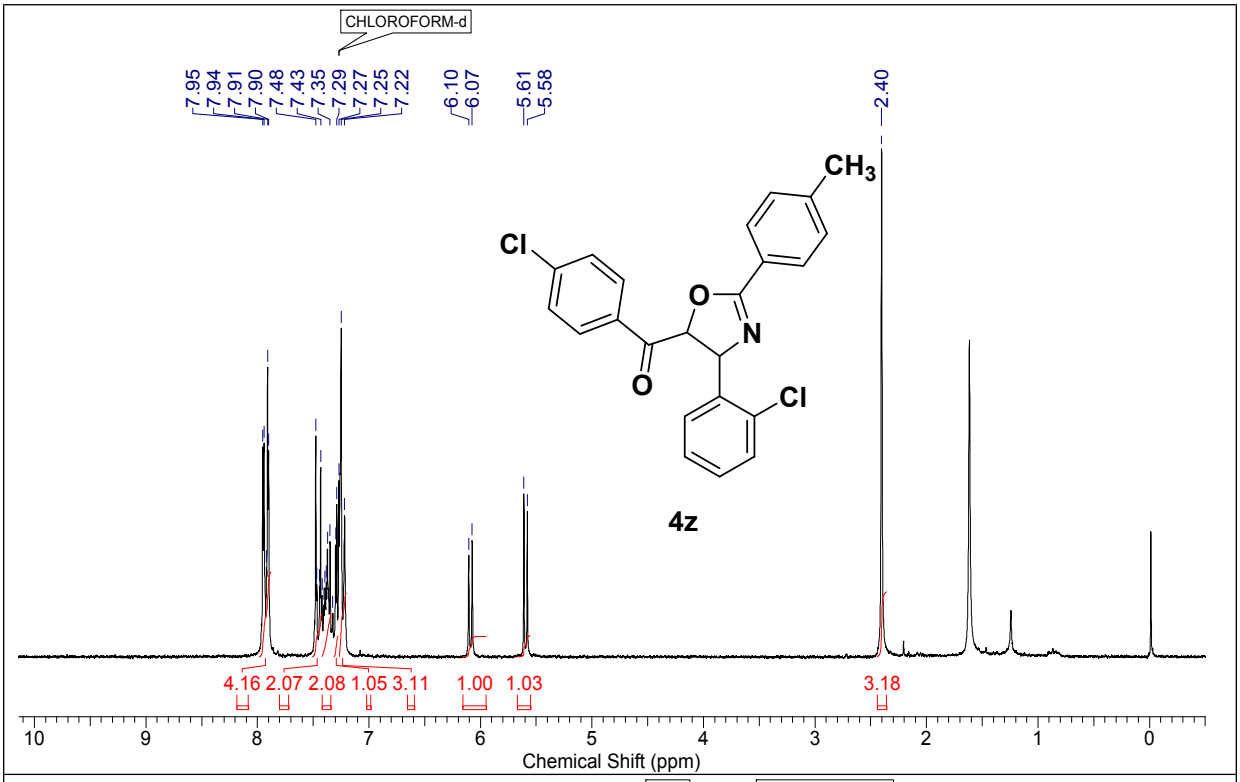


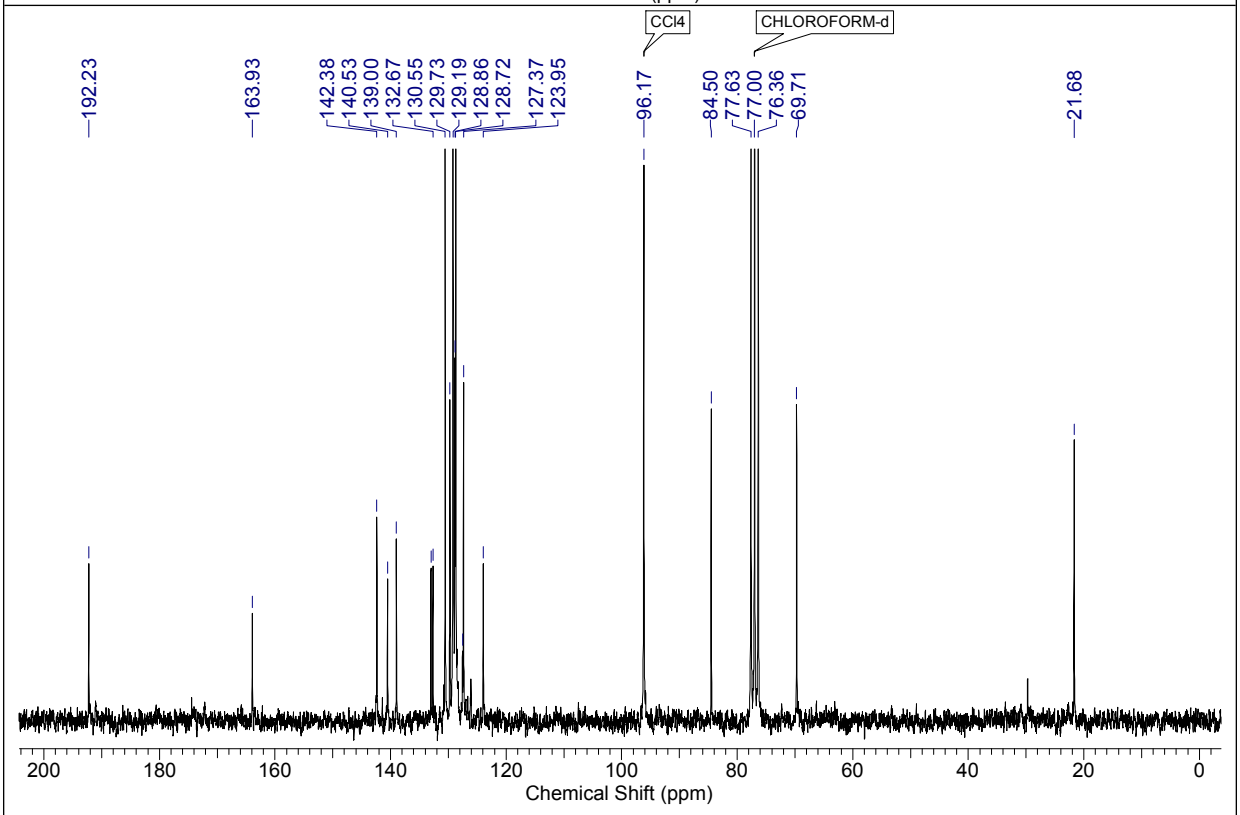


(4-(2,4-difluorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(phenyl)methanone (4x)

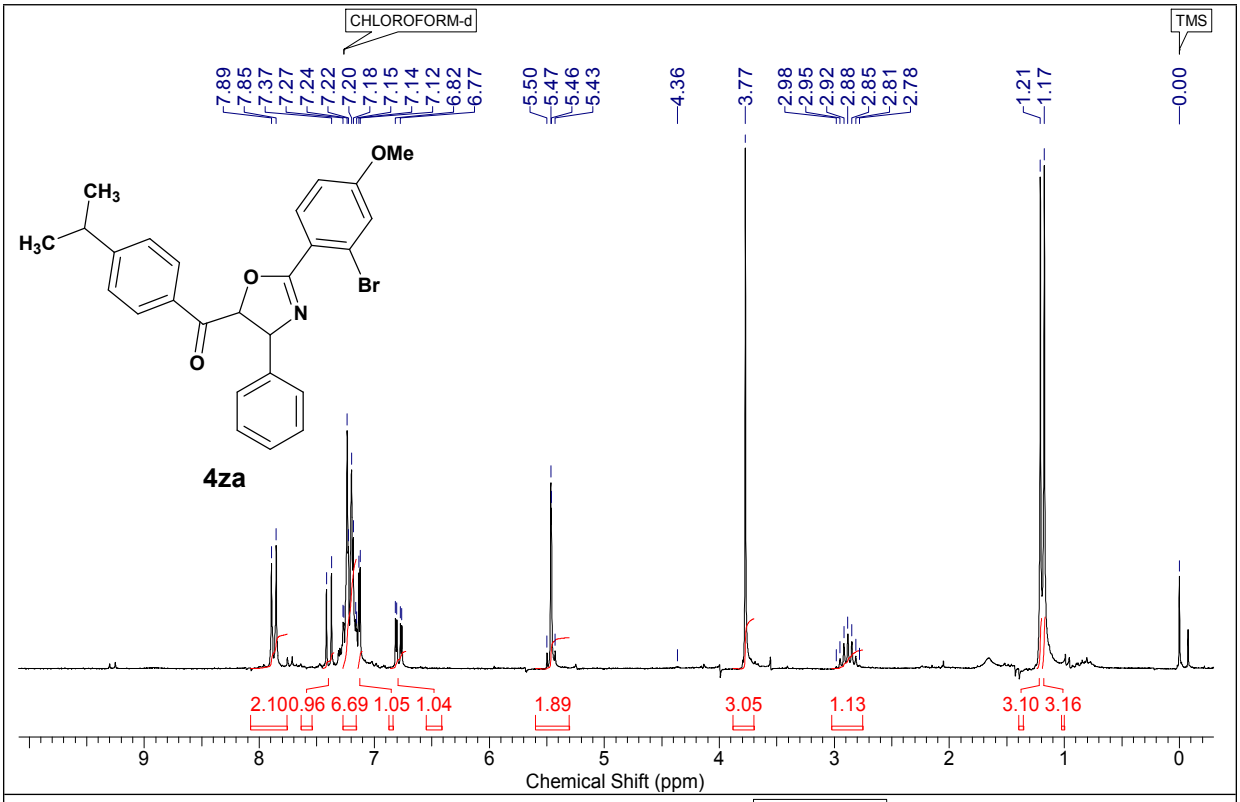


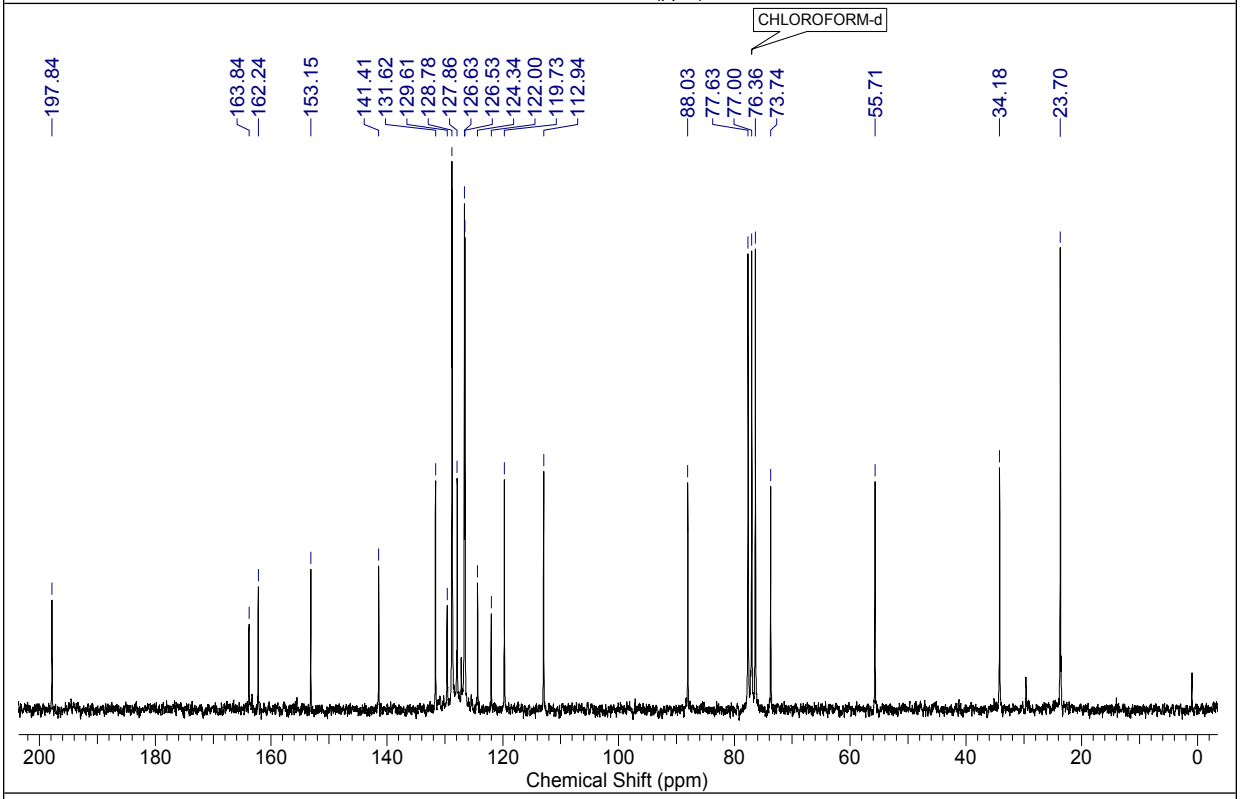
(4-(2-chlorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)(p-tolyl)methanone (4y)



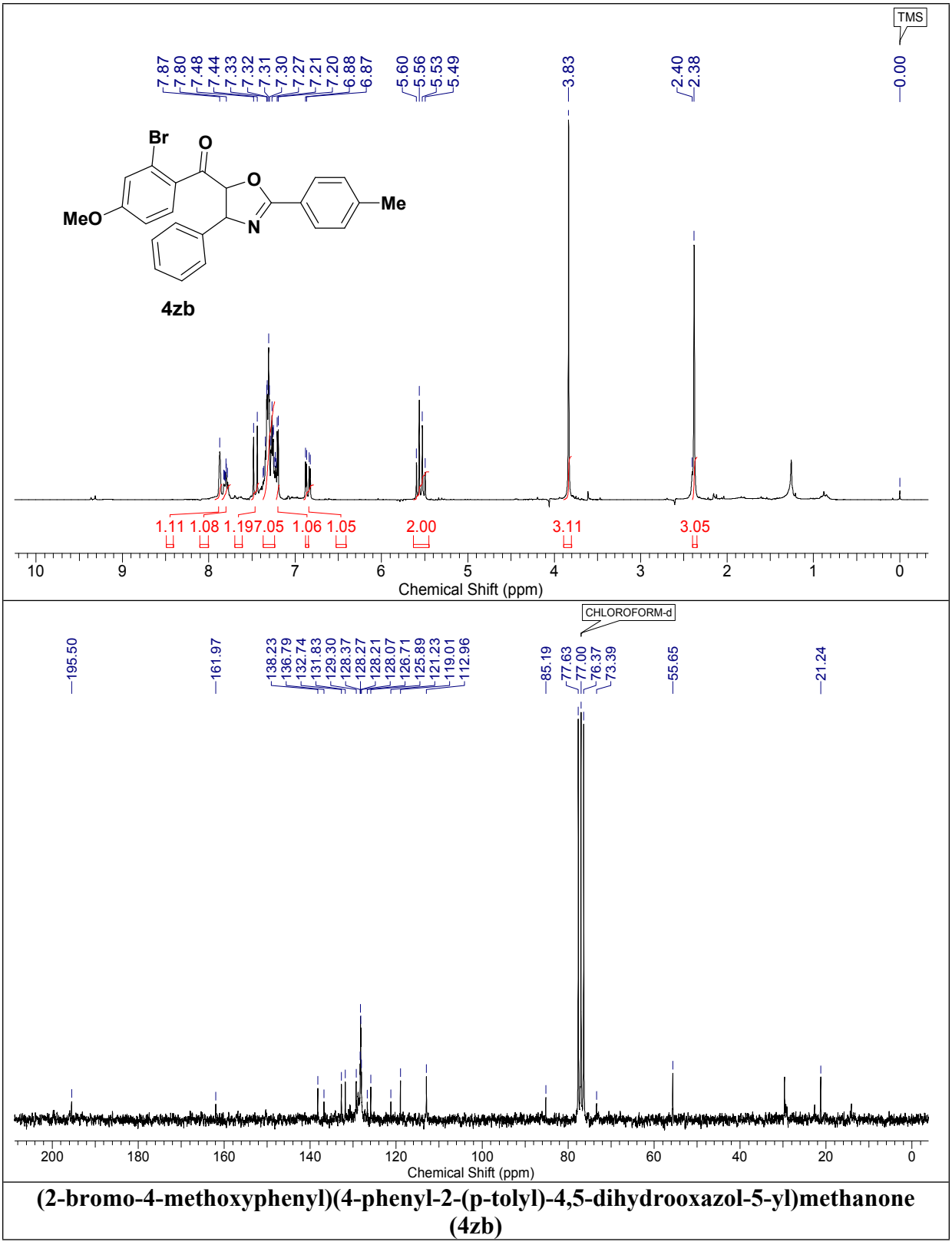


(4-chlorophenyl)(4-(2-chlorophenyl)-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4z)

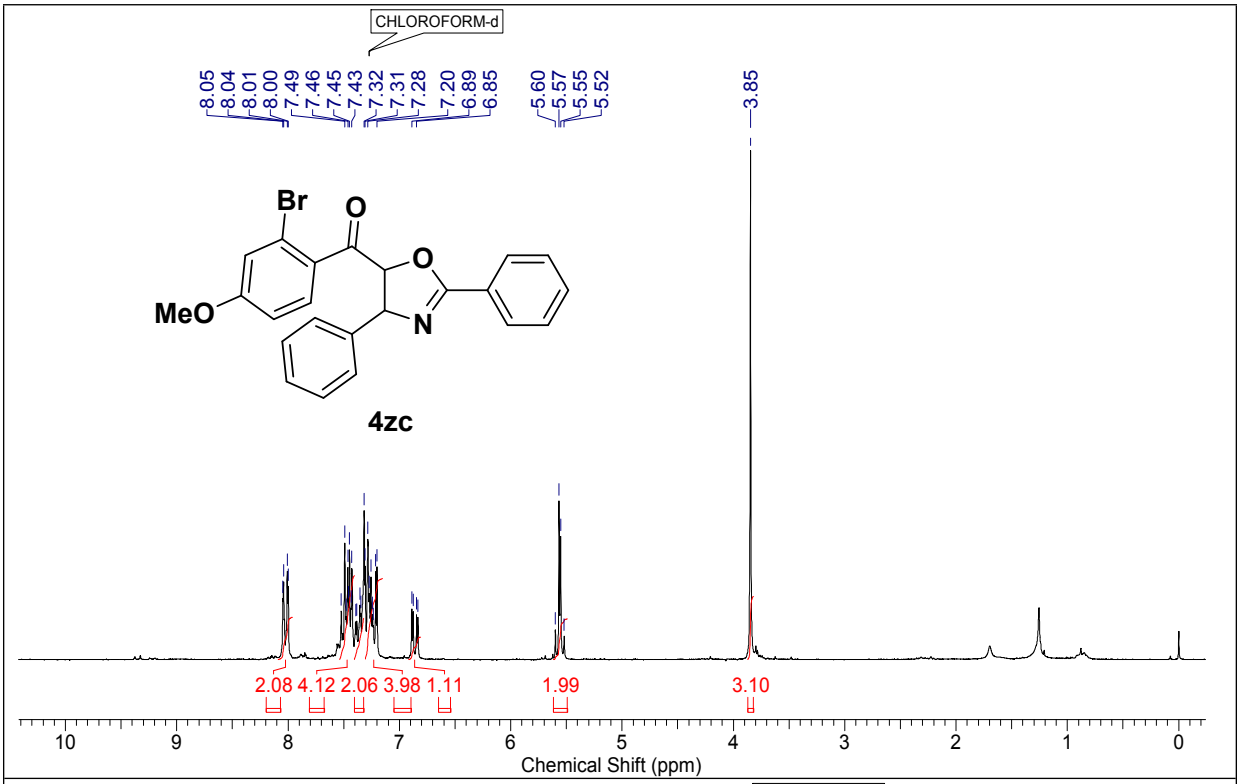


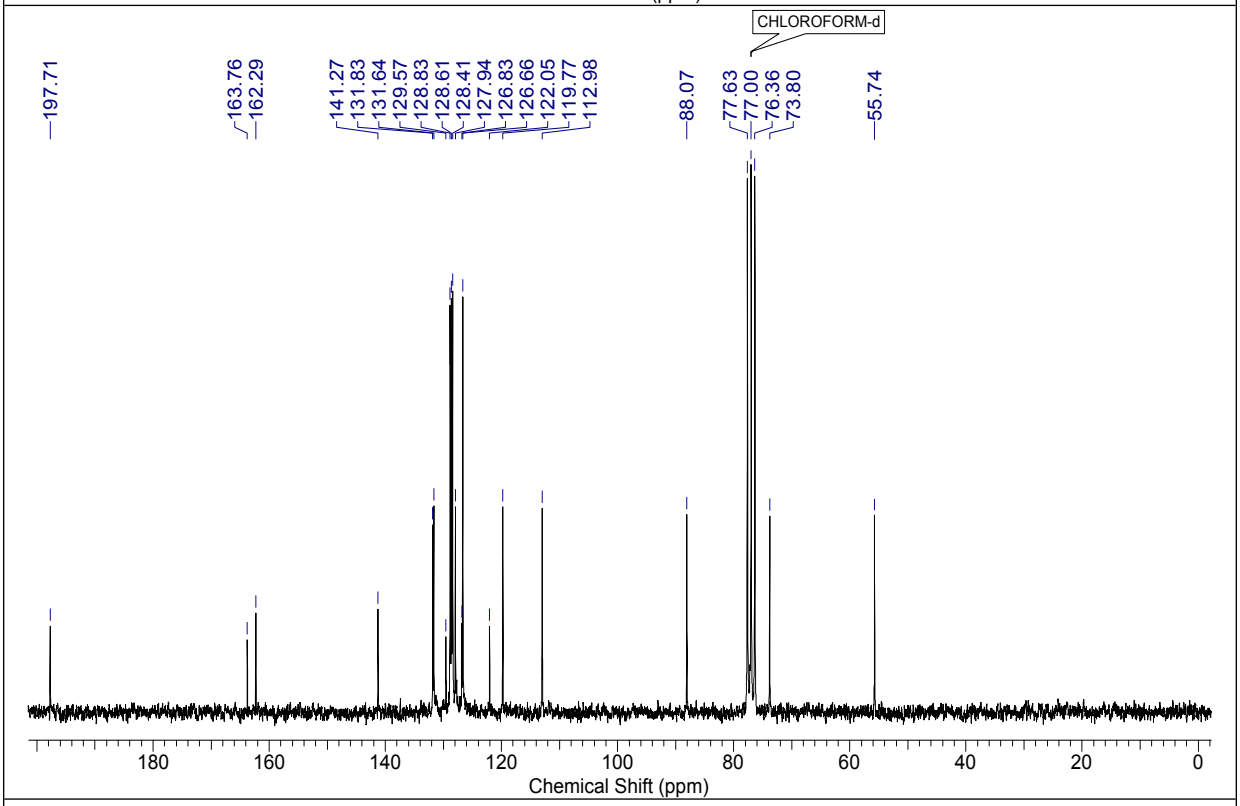


(2-(2-bromo-4-methoxyphenyl)-4-phenyl-4,5-dihydrooxazol-5-yl)(4 isopropylphenyl)methanone (4za)

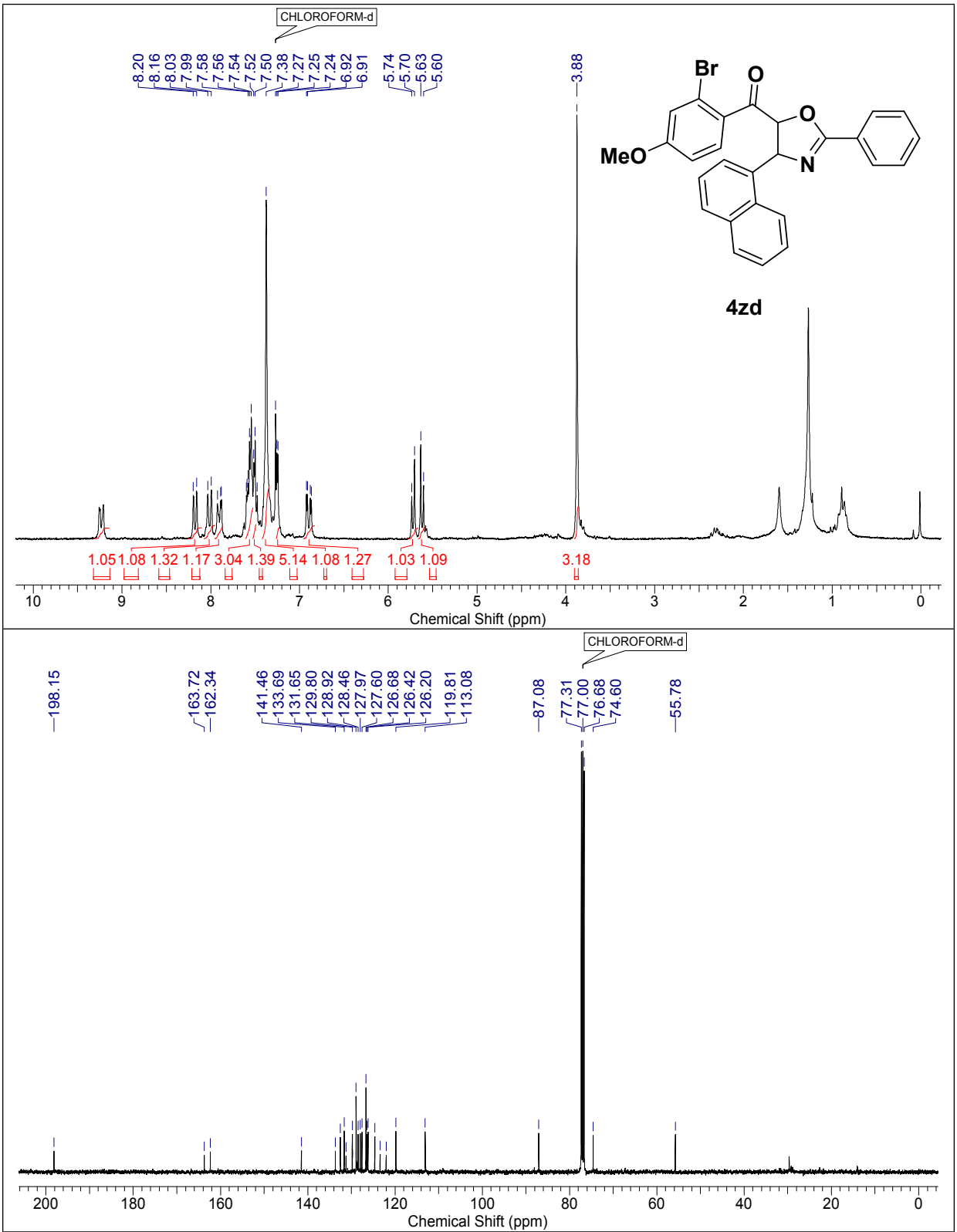


(2-bromo-4-methoxyphenyl)(4-phenyl-2-(p-tolyl)-4,5-dihydrooxazol-5-yl)methanone (4zb)

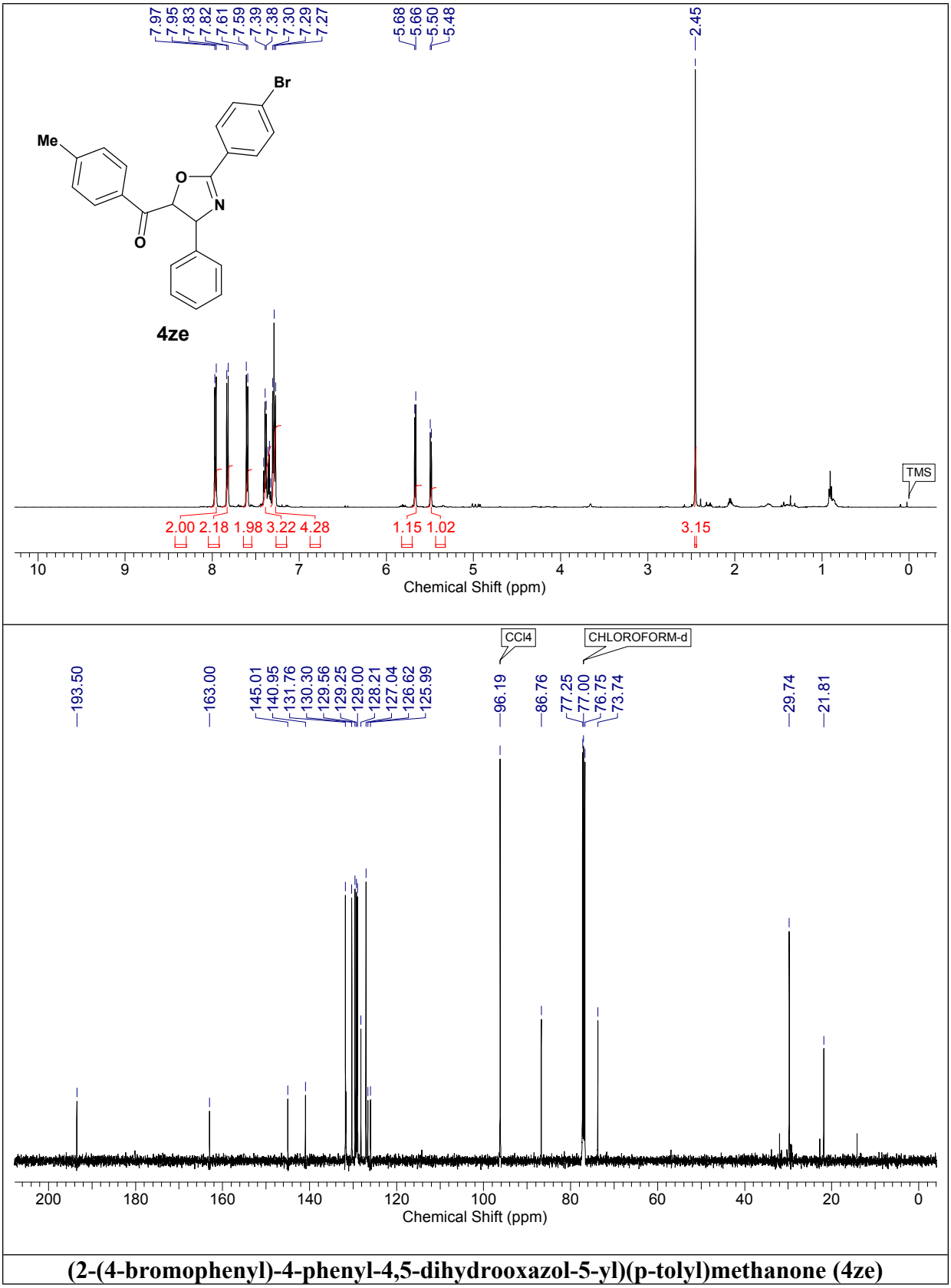




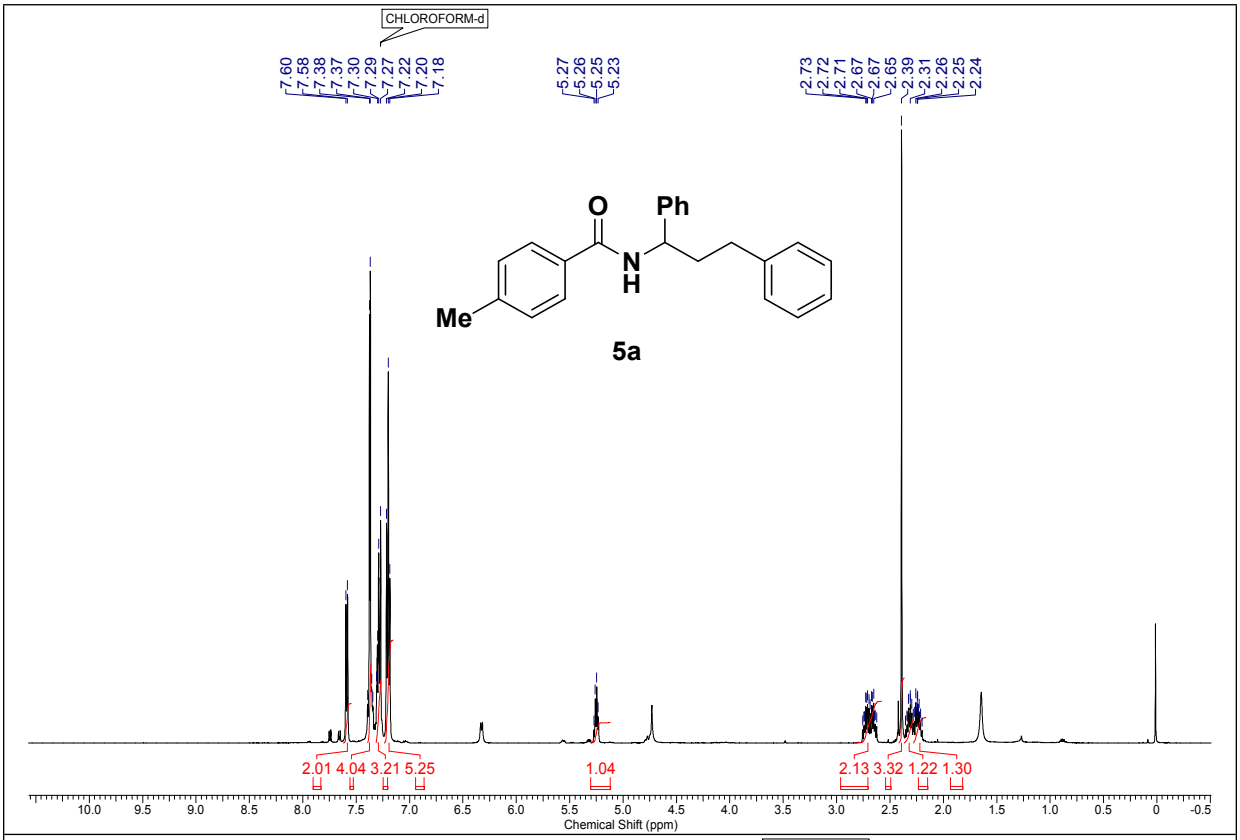
(2-bromo-4-methoxyphenyl)(2,4-diphenyl-4,5-dihydrooxazol-5-yl)methanone (4zc)

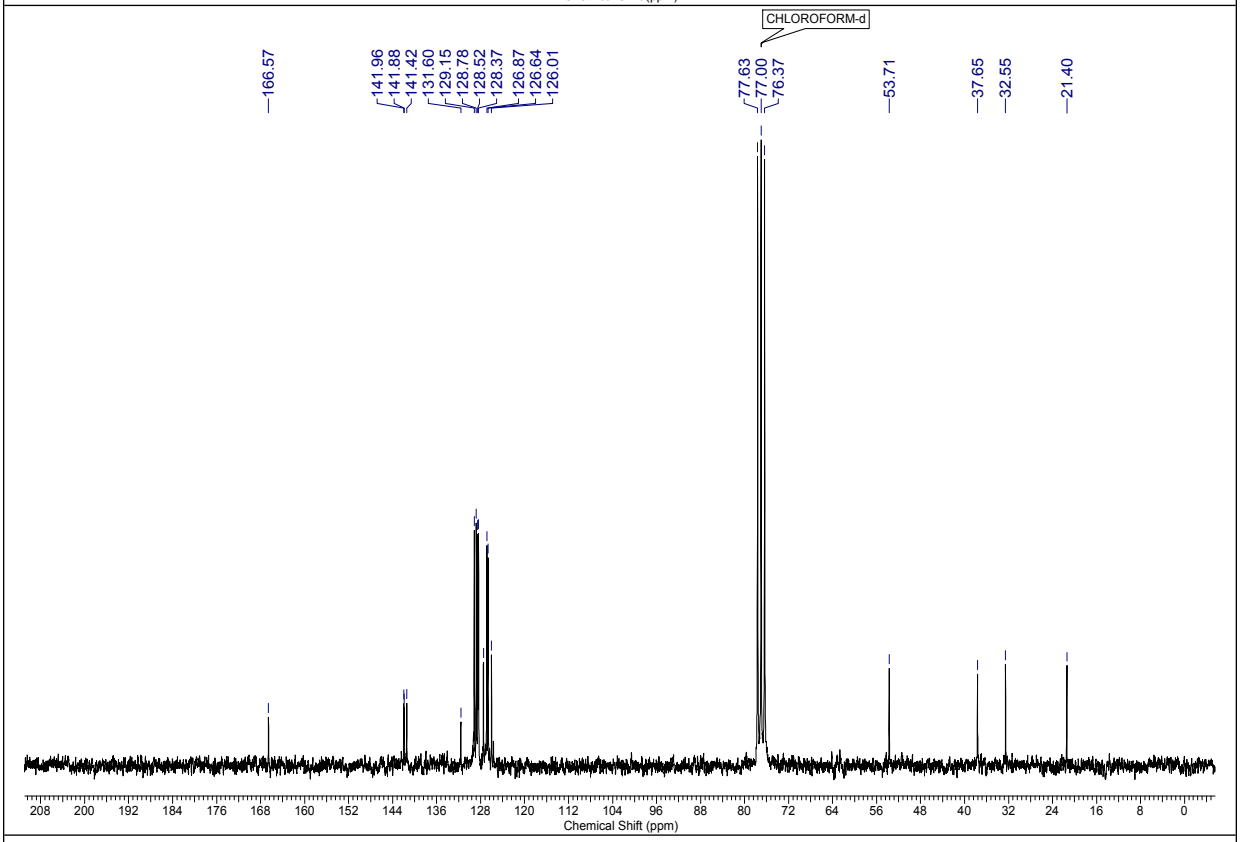


(2-bromo-4-methoxyphenyl)(4-(naphthalen-1-yl)-2-phenyl-4,5-dihydrooxazol-5-yl)methanone (4zd)

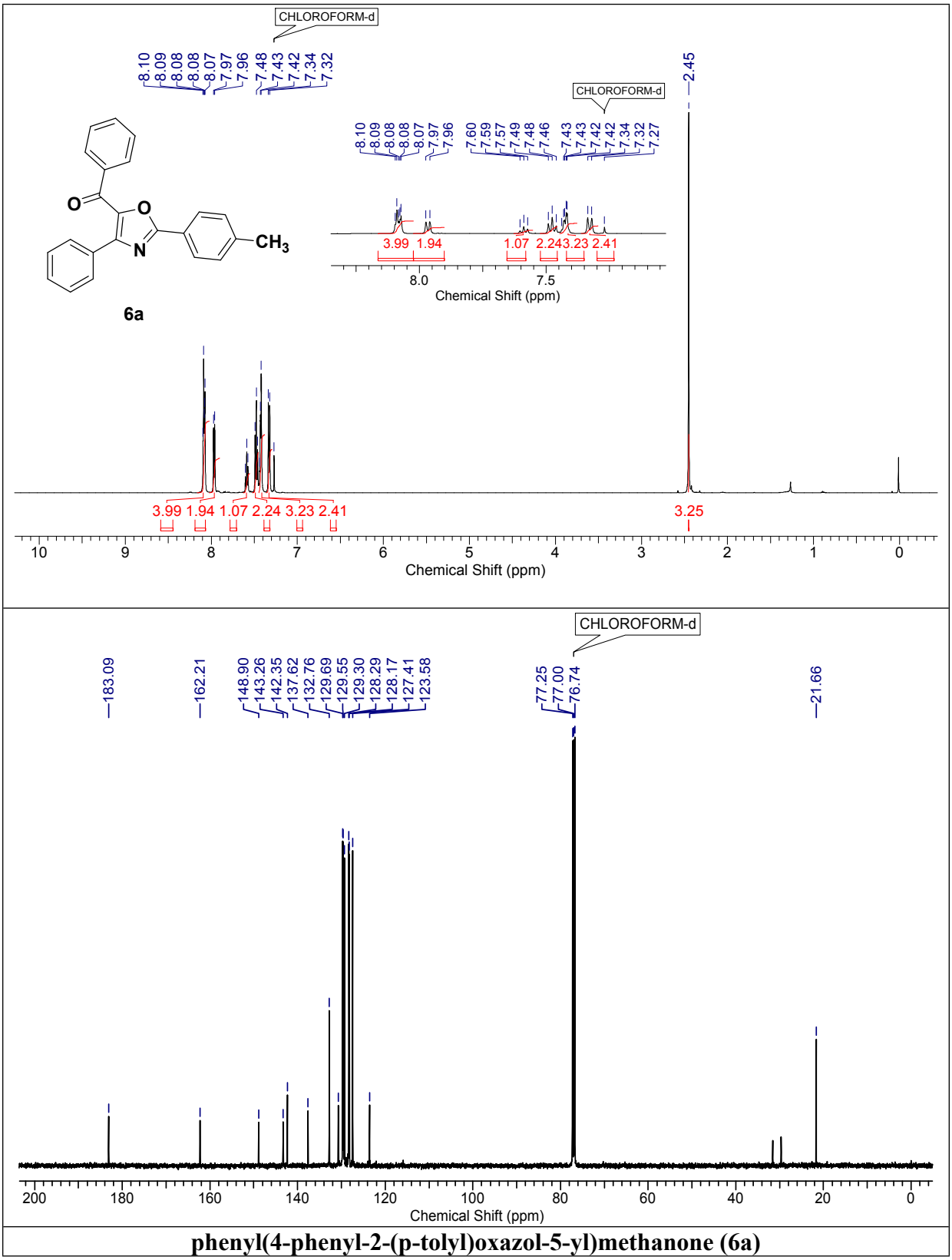


(2-(4-bromophenyl)-4-phenyl-4,5-dihydrooxazol-5-yl)(p-tolyl)methanone (4ze)

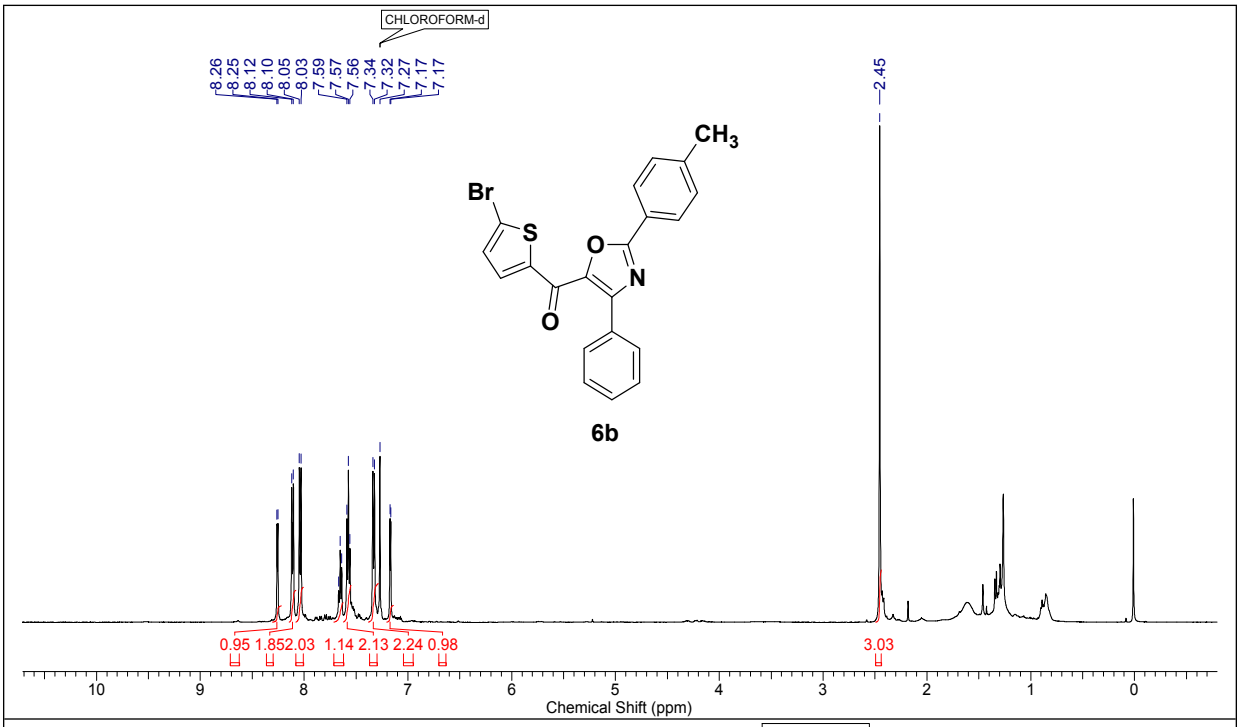


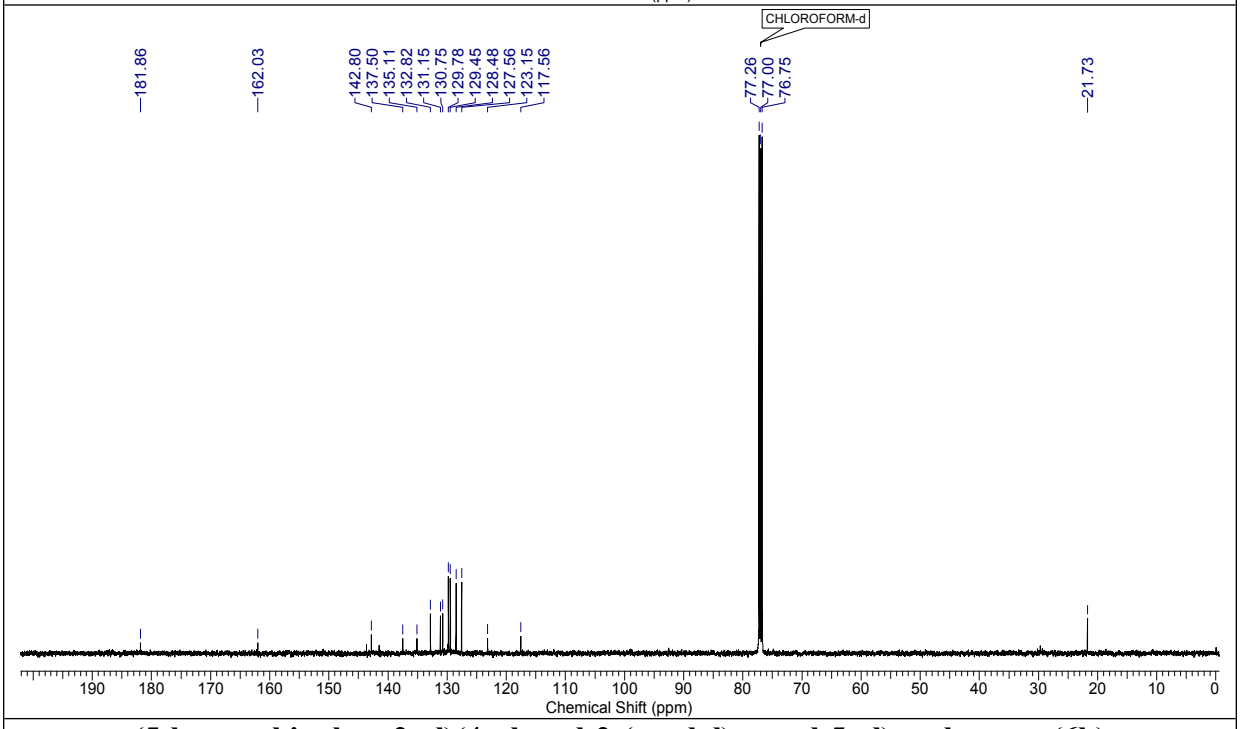


N-(1,3-diphenylpropyl)-4-methylbenzamide (5a)

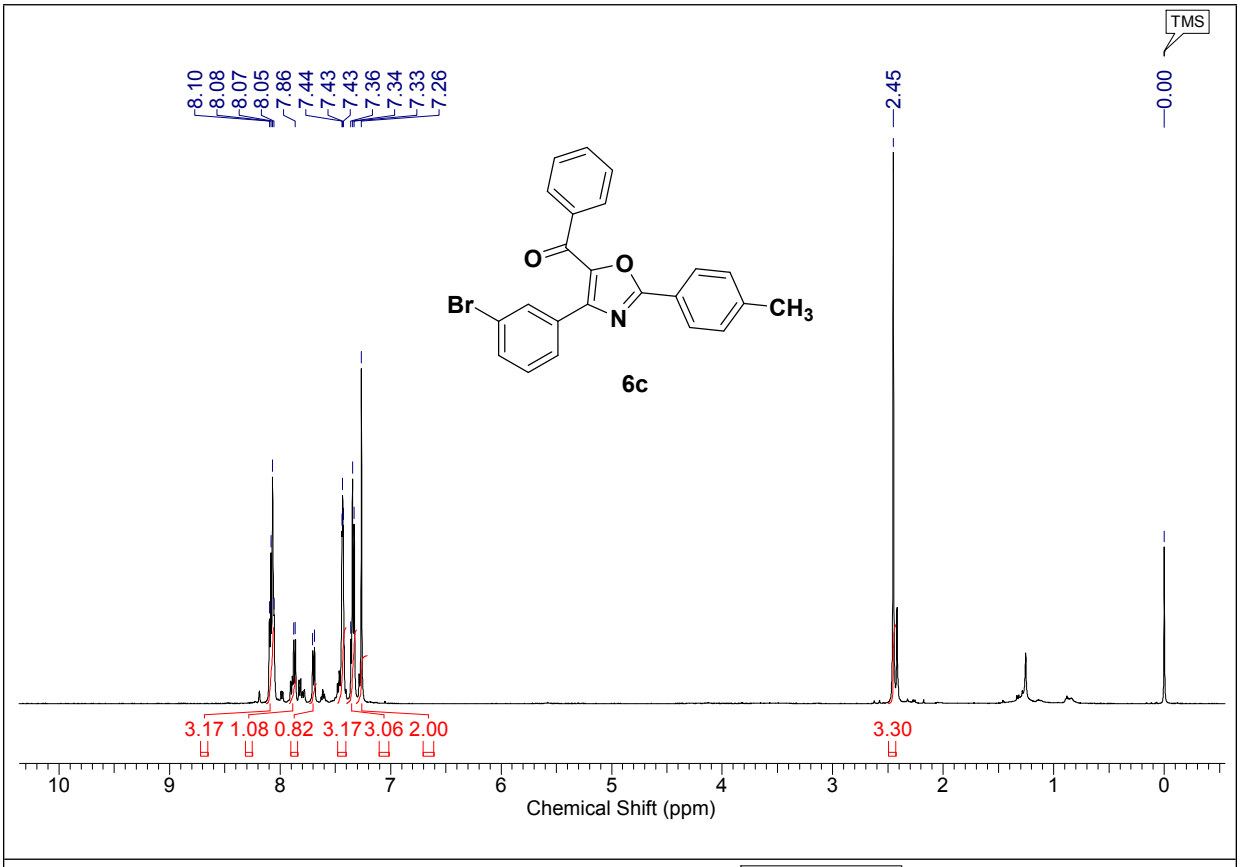


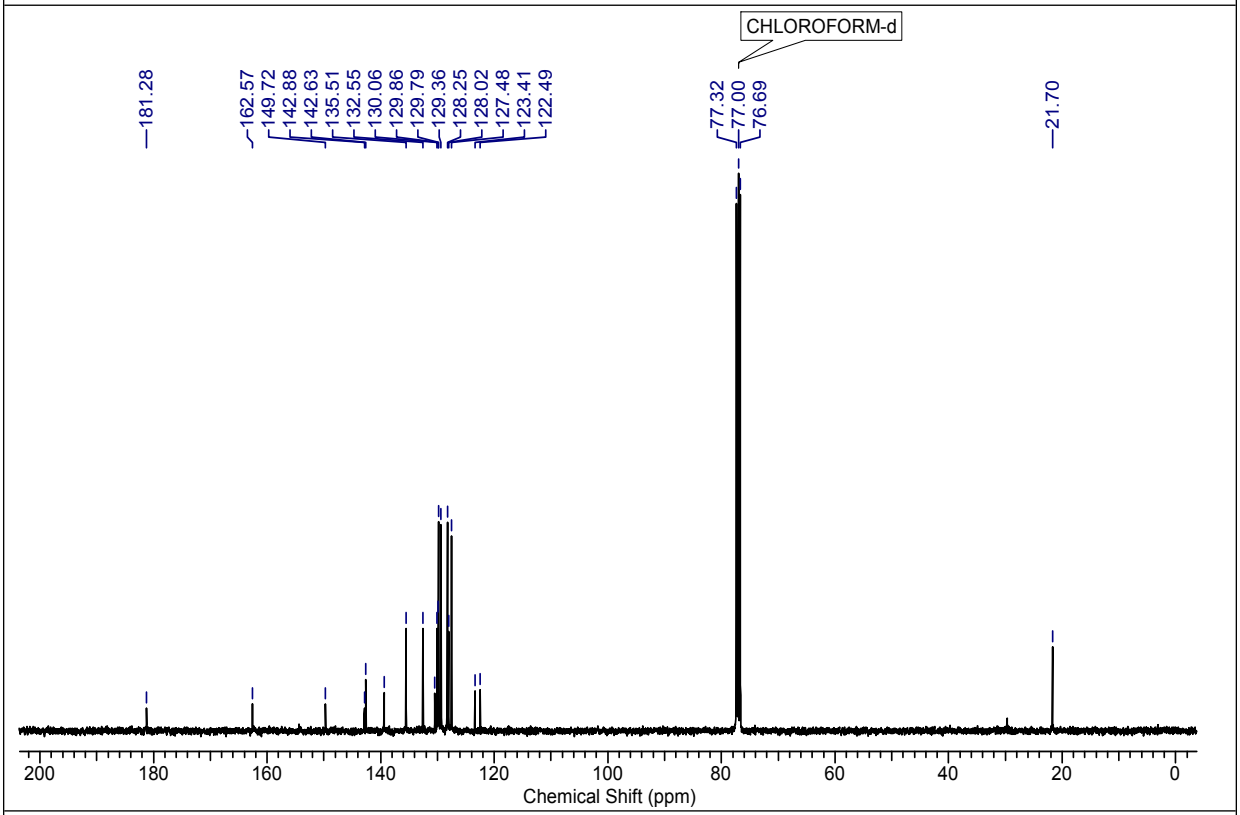
phenyl(4-phenyl-2-(p-tolyl)oxazol-5-yl)methanone (6a)





(5-bromothiophen-2-yl)(4-phenyl-2-(p-tolyl)oxazol-5-yl)methanone (6b)





(4-(3-bromophenyl)-2-(p-tolyl)oxazol-5-yl)(phenyl)methanone (6c)