Supporting Information

Copper-catalyzed radical amino-oxygenation of alkenes for the exclusive synthesis of 5-substituted 2-oxazolidinones

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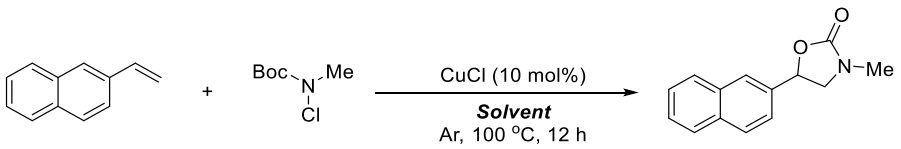
1. General Information

The reactions via general procedure were carried out under an atmosphere of argon unless otherwise noted. Column chromatography was performed using silica gel (200-300 mesh) or thin layer chromatography was performed using silica gel (GF254). ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on Bruker-AV (400, 100 and 376 MHz, respectively) instrument internally referenced to tetramethylsilane (TMS) or chloroform signals. Data for ^{1}H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, dt = doublet of triplets, td = triplet of doublets, tt = triplet of triplets, ddd = doublet of double doublets, m = multiplet or unresolved, br = broad signal), coupling constant(s) in Hz, integration). Data for ^{13}C NMR are reported in terms of chemical shift (δ, ppm). High-resolution mass spectra (ESI) were obtained with the Thermo Scientific LTQ Orbitrap XL mass spectrometer. Melting points were measured with a YUHUA X-5 melting point instrument and were uncorrected. The structures of known compounds were further corroborated by comparing their ^{1}H NMR, ^{13}C NMR data and HRMS data with those in literatures. All reactions were performed in an oil bath when heating was required.

2. Optimization of Reaction Conditions

Table S1. Reaction of Solvent[a]

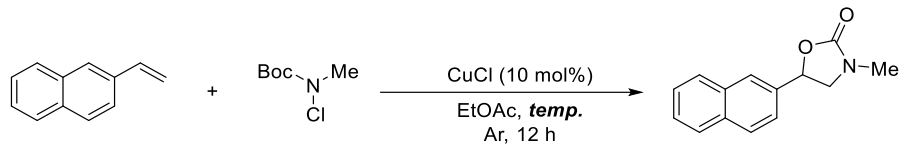


1a **2a** **3aa**

Entry	Solvent	Yield (%)[b]
1	$PhCH_3$	41
2	1,4-Dioxane	trace
3	THF	12
4	DMF	trace
5	DMSO	trace
6	MeOH	trace
7	MeCN	11
8	DCM	41
9	DCE	45
10	PhCl	49
11	EtOAc	58
12	Methyl acetate	49
13	Hexyl acetate	52
14	Isobutyl acetate	52
15	Isopropyl acetate	56

[a]Reaction Conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), CuCl (10 mol%) in corresponding solvent (1.0 mL) at 100 °C, Ar, 12 h. [b]Determined by ^1H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Table S2. Optimization on Reaction Temperature[a]

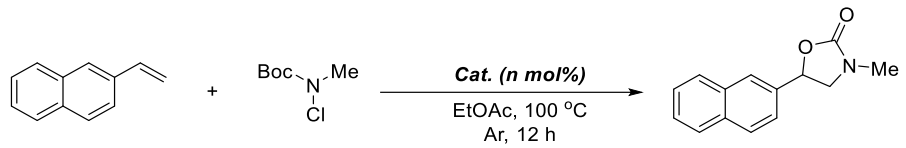


1a **2a** **3aa**

Entry	Temp.(°C)	Yield (%)[b]
1	25	trace
2	50	12
3	80	53
4	90	56
5	100	58
6	120	46

[a]Reaction Conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), CuCl (10 mol%) in EtOAc (1.0 mL) at 100 °C, Ar, 12 h. [b]Determined by ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Table S3. Optimization of Catalyst[a]

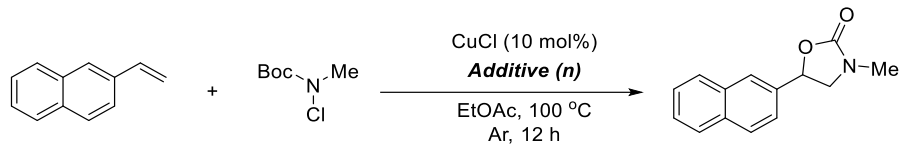


1a 2a 3aa

Entry	Cat.	n	Yield(%)[b]
1	$PdCl_2$	10	6
2	$NiCl_2·6H_2O$	10	9
3	$FeCl_3$	10	trace
4	$Mn(acac)_3$	10	trace
5	$RuCl_3$	10	trace
6	$CoCl_2$	10	trace
7	$Fe(OTf)_3$	10	8
8	$Zn(OTf)_2$	10	trace
9	AgOTf	10	18
10	CuI	10	57
11	CuBr	10	47
12	CuCN	10	47
13	$CuCl_2$	10	49
14	$CuSO_4$	10	37
15	$Cu(OTf)_2$	10	trace
16	$Cu(acac)_2$	10	41
17	$Cu(MeCN)_4PF_6$	10	23
18	CuO	10	46
19	CuCl	10	58
20	CuCl	5	36
21	CuCl	20	58
22	CuCl	30	59
23	CuCl	100	65

[a]Reaction Conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **Cat.** (n mol%) in EtOAc (1.0 mL) at 100 °C, Ar, 12 h. [b]Determined by ^1H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Table S4. Optimization of Additive[a]

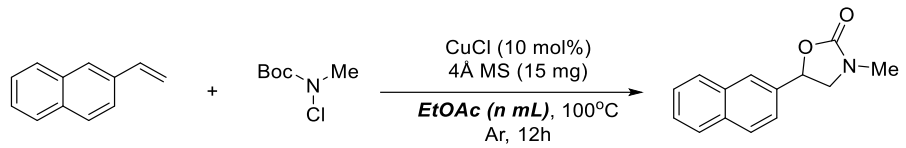


1a 2a 3aa

Entry	additive	n	Yield(%)[b]
1	None	0	58
2	MeOH	1.0 equiv	59
3	$FeCl_3$	20 mol%	trace
4	$BiCl_3$	20 mol%	27
5	Mn	1.0 equiv	19
6	4Å MS	5 mg	57
7	4Å MS	10 mg	59
8	4Å MS	15 mg	62
9	4Å MS	20 mg	63

[a]Reaction Conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), CuCl (10 mol%), with corresponding additive in EtOAc (1.0 mL) at 100 °C, Ar, 12 h. [b]Determined by ^1H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Table S5. Optimization on Reaction Concentration[a]

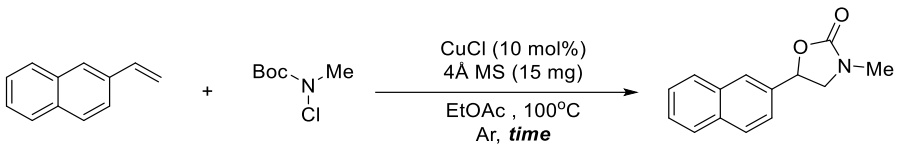


1a **2a** **3aa**

Entry	n	Yield(%)[b]
1	1	62
2	0.8	58
3	0.6	59
4	0.4	74
5	0.3	85
6	0.2	85(78)
7	0.1	83

[a]Reaction Conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), CuCl (10 mol%), 4Å MS (15 mg) in EtOAc (n mL) at 100 °C, Ar, 12 h. [b]Determined by ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard (isolated yield in parentheses).

Table S6. Optimization of Reaction Time[a]

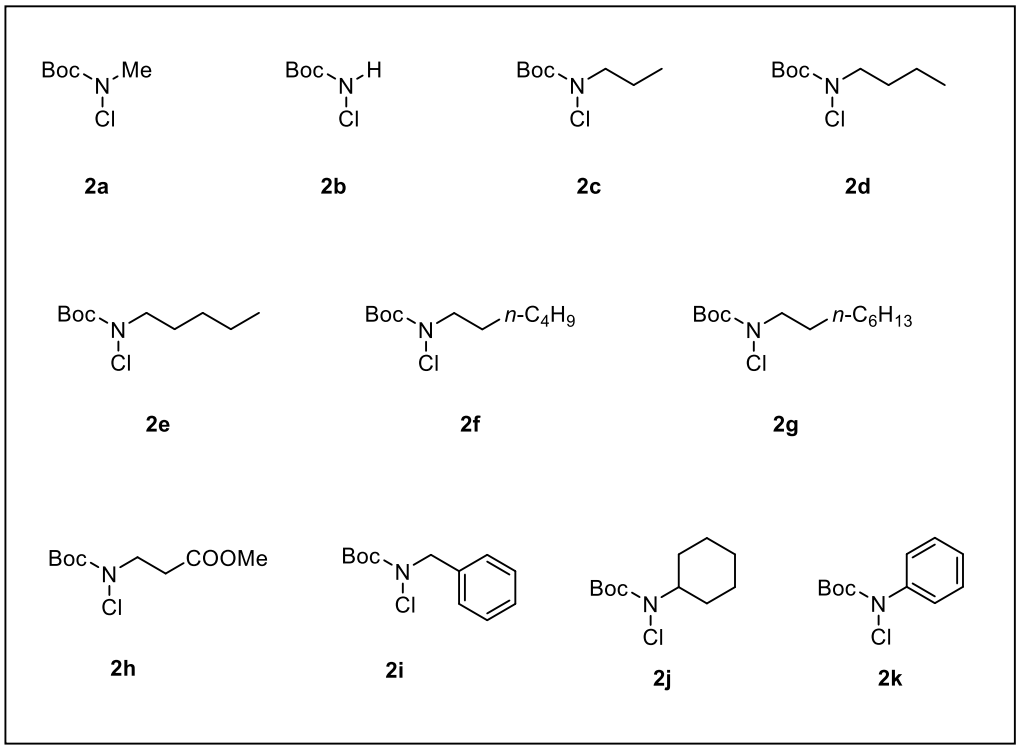


1a **2a** **3aa**

Entry	time	Yield(%)[b]
1	12 h	85(78)
2	6 h	84(78)
3	3 h	82
4	30 min	66

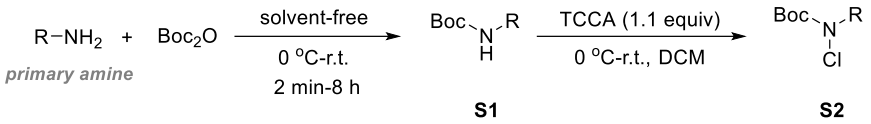
[a]Reaction Conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), CuCl (10 mol%), 4Å MS (15 mg) in EtOAc (1.0 mL) at 100 °C, Ar. [b]Determined by ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard (isolated yield in parentheses).

3. Procedure for the Preparation of BocNR-Cl Reagents



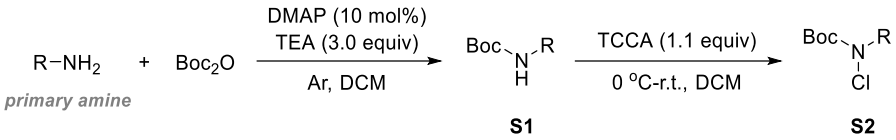
BocNR-Cl reagents **2c**, **2e**, **2f**, **2g**, **2h** and **2k** were synthesized according to the general procedure **A**; **2d**, **2i** and **2j** were synthesized according to the general procedure **B**.

3.1 General procedure A[1]



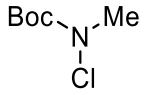
To a 50 mL flame-dried round bottom flask equipped with a stir bar, 10 mmol amine was added. The flask was cooled to 0 °C. Then 10 mmol Boc_2O was added dropwise. Upon the completion of addition, the mixture was warmed up to room temperature and stirred for additional 2 minutes-8 hours. The reaction was monitored by TLC, until the starting material disappeared. Then the mixture was concentrated in vacuo to afford the desired *N*-Boc protected product **S1** as a viscous oil which can be used directly in the next step. Trichloroisocyanuric acid (11 mmol, 1.1 equiv) was added at 0 °C to a well stirred solution of the **S1** in CH_2Cl_2 (6 mL for 1 mmol amide) and the mixture was kept at room temperature overnight. Then the mixture was filtered on Celite and the residue was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 20:1) to afford **S2** as a colorless oil liquid.

3.2 General procedure B



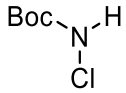
A 100 mL Schlenck bottom flask with a stir bar was flame-dried and cooled down under Ar atmosphere. Amine (10 mmol) and triethylamine (3.04 g, 3.8 mL, 3.0 equiv) in CH_2Cl_2 (40 mL) were first added and stirred for 30 minutes under Ar atmosphere. Then Boc_2O (3.28 g, 3.5 mL, 1.5 equiv) and DAMP (122.2 mg, 10 mmol%) were added. Upon the completion of addition, the mixture was stirred for additional 8 hours. The reaction was monitored by TLC, until the starting material disappeared. The reaction mixture was washed with aqueous HCl (1 M), aqueous $NaHCO_3$ and aqueous NaCl solutions. The organic layer was dried over anhydrous Na_2SO_4 and concentrated to afford the crude product **S1** as a viscous oil which can be used directly in the next step. Trichloroisocyanuric acid (11 mmol, 1.1 equiv) was added at 0 °C to a well stirred solution of the **S1** in CH_2Cl_2 (6 mL for 1 mmol amide) and the mixture was kept at room temperature overnight. Then the mixture was filtered on Celite and the residue was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 20:1) to afford **S2** as a colorless oil liquid.

***tert*-butyl chloro(methyl)carbamate (2a)**



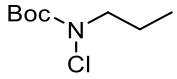
The corresponding BocNR-Cl **2a** was obtained as colorless oil (1.47 g, 89%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.18 (s, 3H), 1.40 (s, 9H). The data are consistent with the reported literature.[2]

***tert*-butyl chlorocarbamate (2b)**



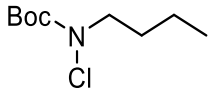
The corresponding BocNR-Cl **2b** was obtained as colorless oil (1.22g, 81%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.93 (s, 1H), 1.47 (s, 9H). The data are consistent with the reported literature.[3]

***tert*-butyl chloro(propyl)carbamate (2c)**



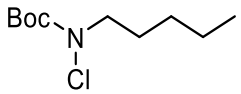
The corresponding BocNR-Cl **2c** was obtained as colorless oil (1.72 g, 87%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.51 – 3.43 (m, 2H), 1.67 – 1.57 (m, 2H), 1.42 (t, J = 2.3 Hz, 9H), 0.89 – 0.80 (m, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.8, 82.3, 55.4, 27.9, 20.5, 10.4.

***tert*-butyl butylchlorocarbamate (2d)**



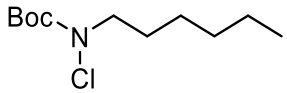
The corresponding BocNR-Cl **2d** was obtained as colorless oil (0.98 g, 48%); ^{1}H NMR (400 MHz, CDCl3) δ 3.51 (t, J = 7.1 Hz, 2H), 1.65 – 1.53 (m, 2H), 1.44 (s, 9H), 1.28 – 1.17 (m, 2H), 0.89 (t, J = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.7, 82.3, 53.7, 29.22, 27.9, 19.2, 13.6.

***tert*-butyl chloro(pentyl)carbamate (2e)**



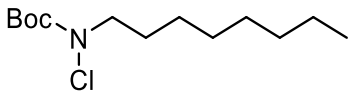
The corresponding BocNR-Cl **2e** was obtained as colorless oil (2.1 g, 95%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.52 (t, J = 7.1 Hz, 2H), 1.68 – 1.56 (m, 2H), 1.45 (s, 9H), 1.36 – 1.17 (m, 4H), 0.87 (t, J = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.8, 82.4, 53.9, 28.0, 27.3, 26.83, 22.2, 13.9.

***tert*-butyl chloro(hexyl)carbamate (2f)**



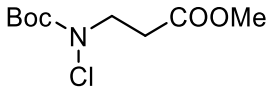
The corresponding BocNR-Cl **2f** was obtained as colorless oil (1.8 g, 78%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.52 (t, J = 7.1 Hz, 2H), 1.61 (t, J = 7.0 Hz, 2H), 1.45 (s, 9H), 1.27 (s, 6H), 0.90 – 0.80 (m, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.8, 82.4, 54.0, 31.3, 28.0, 27.1, 25.6, 22.5, 13.9.

***tert*-butyl chloro(octyl)carbamate (2g)**



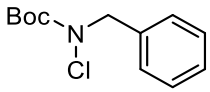
The corresponding BocNR-Cl **2g** was obtained as colorless oil (2.1 g, 79%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.53 (t, *J* = 7.1 Hz, 2H), 1.62 (t, *J* = 7.0 Hz, 2H), 1.46 (s, 9H), 1.27 (t, *J* = 6.2 Hz, 10H), 0.86 (t, *J* = 6.7 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.9, 82.5, 54.1, 31.8, 29.2, 29.2, 28.1, 27.2, 26.1, 22.6, 14.1.

methyl 3-((*tert*-butoxycarbonyl)chloroamino)propanoate (2h)



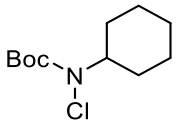
The corresponding BocNR-Cl **2h** was obtained as colorless oil (1.28 g, 54%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.93 – 3.85 (m, 2H), 3.67 (s, 3H), 2.80 – 2.71 (m, 2H), 1.47 (s, 9H).

***tert*-butyl benzylchlorocarbamate (2i)**



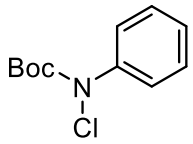
The corresponding BocNR-Cl **2i** was obtained as colorless oil (1.1 g, 46%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.20 (m, 5H), 4.72 (s, 2H), 1.50 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.5, 136.0, 128.4, 128.0, 127.8, 82.9, 57.4, 27.9.

***tert*-butyl chloro(cyclohexyl)carbamate (2j)**



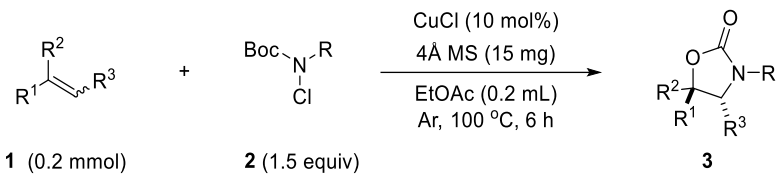
The corresponding BocNR-Cl **2j** was obtained as colorless oil (0.97 g, 41%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.00 – 3.88 (m, 1H), 1.75 – 1.65 (m, 2H), 1.64 – 1.57 (m, 2H), 1.56 – 1.45 (m, 3H), 1.37 (d, *J* = 1.8 Hz, 9H), 1.26 – 1.14 (m, 2H), 0.98 (d, *J* = 13.0 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.4, 82.0, 59.5, 29.7, 27.8, 25.1.

***tert*-butyl chloro(phenyl)carbamate (2k)**



The corresponding BocNR-Cl **2k** was obtained as colorless oil (1.95 g, 86%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (d, *J* = 9.0 Hz, 1H), 7.31 (d, *J* = 2.4 Hz, 1H), 7.19 (dd, *J* = 8.9, 2.5 Hz, 1H), 7.01 – 6.90 (m, 1H), 1.52 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 152.05, 133.89, 128.53, 127.67, 120.33, 81.33, 28.16.

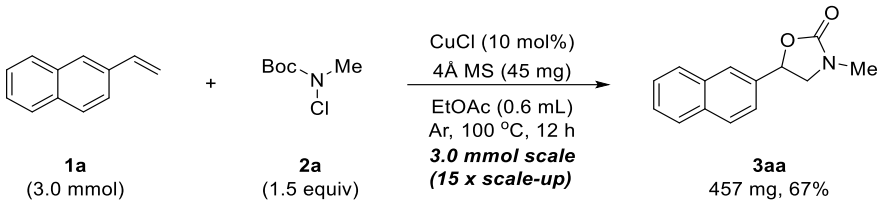
4. General Procedure for Synthesis of Products 3



In the glove box, to a flame-dried 10 mL reaction tube were charged with **1** (0.2 mmol), **2** (0.3 mmol, 1.5 equiv), CuCl (2.0 mg, 0.02 mmol, 10 mol%), 4Å MS (15 mg,) and EtOAc (0.2 mL, 1.0 M). Then the tube was sealed, and taken out of glove box. The mixture was stirred at 100 °C under Ar atmosphere for 6 h. After complete consumption of **1** (determined by TLC), the reaction mixture was concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel flash column chromatography (typically using petroleum ether firstly, then PE/EtOAc = 1:1 as elution) or prepared thin layer chromatography to afford the desired products **3**.

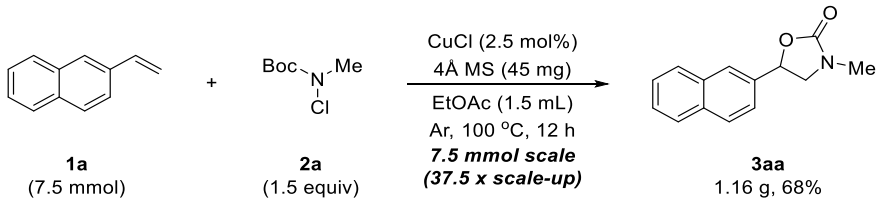
5. Large-Scale and Gram-Scale Reactions

5.1 Large-scale reaction for the synthesis of 3aa



A 10 mL Schlenck reaction tube with a stir bar was flame-dried and cooled down under Ar atmosphere. In the glove box, to a 10 mL reaction tube were added **1a** (463 mg, 3.0 mmol), **2a** (745 mg, 4.5 mmol, 1.5 equiv), CuCl (30 mg, 0.3 mmol, 10 mol%), 4Å MS (45 mg) and EtOAc (0.6 mL, 5.0 M). The mixture was stirred for additional 12 h at 100 °C. After complete consumption of **1a** (determined by TLC), the reaction mixture was filtered over a short pad of silica gel, washed with ethyl acetate and concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford the pure product **3aa** as a white solid (457 mg, 67% yield).

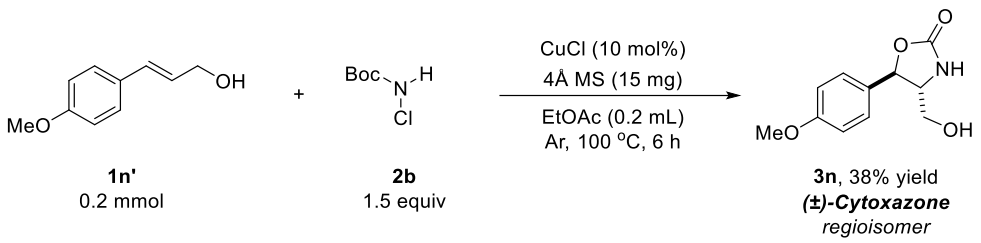
5.2 Gram-scale reaction at lower catalyst loading



A 25 mL Schlenck reaction tube with a stir bar was flame-dried and cooled down under Ar atmosphere. In the glove box, to a 25 mL reaction tube were added **1a** (1.16 g, 7.5 mmol), **2a** (1.9 g, 11.25 mmol, 1.5 equiv), CuCl (18.8 mg, 0.19 mmol, 2.5 mol%), 4Å MS (45 mg) and EtOAc (1.5 mL, 5.0 M). The mixture was stirred for additional 12 h at 100 °C. After complete consumption of **1a** (determined by TLC), the reaction mixture was filtered over a short pad of silica gel, washed with ethyl acetate and concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford the pure product **3aa** as a white solid (1.16 g, 68% yield).

6. Derivatizations of Products

6.1 Synthesis of (±)-*Cytoxazone* regioisomer



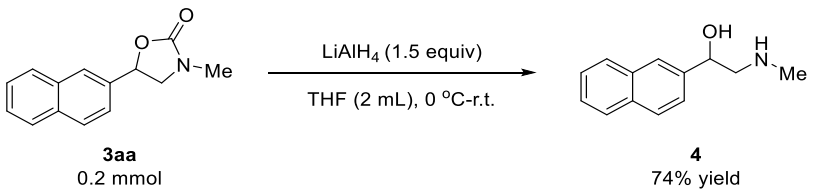
1n'
0.2 mmol

2b
1.5 equiv

3n, 38% yield
(±)-Cytoxazone
regioisomer

In the glove box, to a flame-dried 10 mL reaction tube were charged with **1n'** (0.2 mmol), **2b** (49.5 mg, 0.3 mmol, 1.5 equiv), CuCl (2 mg, 0.02 mmol, 10 mol%), 4Å MS (15 mg,) and ethyl acetate (0.2 mL). Then the tube was sealed. The mixture was stirred at 100 °C under Ar atmosphere for 6 h. After complete consumption of **1n'** (determined by TLC), the reaction mixture was concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel flash column chromatography (typically using petroleum ether firstly, then PE/EtOAc = 1:1 as elution) to afford the desired product (±)-***Cytoxazone*** regioisomer **3n** as a viscous oil (17.0 mg, 38% yield).

6.2 Synthesis of β-amino alcohols by reduction/hydrolysis cascade treatment

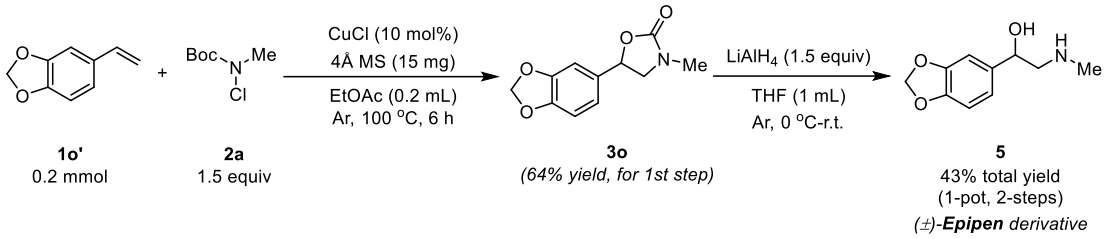


3aa
0.2 mmol

4
74% yield

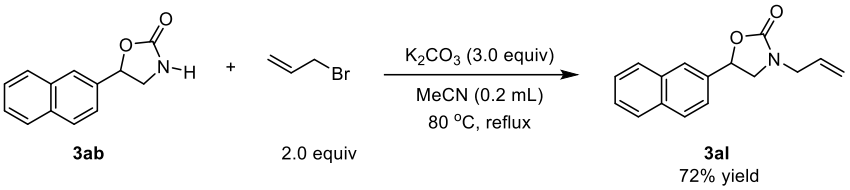
A 10 mL Schlenck reaction tube with a stir bar was flame-dried and cooled down under Ar atmosphere. The $LiAlH_4$ (11.4 mg, 1.5 equiv) was added to the tube under Ar atmosphere. The reaction tube was cooled to 0 °C. Then along the wall of the reaction tube, anhydrous THF (0.5 mL) was slowly added with stirring. When the mixture is no longer bubbling vigorously, dissolve **3a** (0.2 mmol, 45.6 mg) in 0.5 mL of anhydrous THF, then addition of this solution dropwise to the suspension of $LiAlH_4$ at 0 °C. The mixture was stirred for additional 5 h at room temperature. The reaction mixture was filtered over a short pad of silica gel, washed with ethyl acetate and methanol, then concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel column chromatography (CH_2Cl_2/MeOH = 40:1) to afford the pure product **4** as a white solid (29.8 mg, 74% yield).

6.3 Synthesis of (±)-*Epipen* derivative



In the glove box, to a flame-dried 10 mL reaction tube were charged with 5-ethenylbenzo[1,3]dioxole **1o'** (0.2 mmol), **2a** (49.5 mg, 0.3 mmol, 1.5 equiv), CuCl (2 mg, 0.02 mmol, 10 mol%), 4Å MS (15 mg,) and ethyl acetate (0.2 mL). Then the tube was sealed. The mixture was stirred at 100 °C under Ar atmosphere for 6 h. After complete consumption of **1o'** (determined by TLC), the reaction mixture was concentrated under vacuum to afford the crude mixture $\mathbf{S_1}$. A 10 mL Schlenck reaction tube with a stir bar was flame-dried and cooled down under Ar atmosphere. The $LiAlH_4$ (11.4 mg, 1.5 equiv) was added to the tube under Ar atmosphere. The reaction tube was cooled to 0 °C. Then along the wall of the reaction tube, anhydrous THF (0.5 mL) was slowly added with stirring. When the mixture is no longer bubbling vigorously, dissolve $\mathbf{S_1}$ in 0.5 mL of anhydrous THF, then addition of the solution dropwise to the suspension of $LiAlH_4$ at 0 °C. The mixture was stirred for additional 5 h at room temperature. The reaction mixture was filtered over a short pad of silica gel, washed with ethyl acetate and methanol and concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel column chromatography (CH_2Cl_2/MeOH = 20:1) to afford the pure product (±)-***Epipen*** derivative as a viscous oil (16.8 mg, 43% yield).

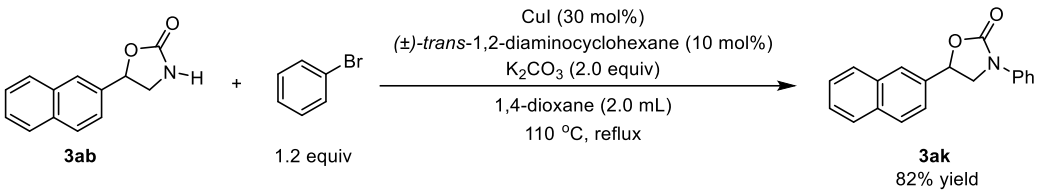
6.4 Allylation of *N*H-2-oxazolidinone 3ab



3ab 2.0 equiv **3al** 72% yield

Adapted from reference,[4] the **3ab** (42.6 mg, 0.2 mmol), allyl bromide (48.4 mg, 0.4 mmol), 2 mL acetonitrile and 0.4 g potassium carbonate were placed in a 50 ml round-flask and equipped with reflux condenser. The mixture was refluxed at 80 °C for 12 h. After cooling to room temperature, the solid was filtered and the resulting filtrate concentrated in vacuum using rotary evaporator. The residue was purified by silica gel flash column chromatography (typically using petroleum ether firstly, then PE/EtOAc = 3:1 as elution) to afford the desired products **3al** as a viscous oil (36.5 mg, 72% yield).

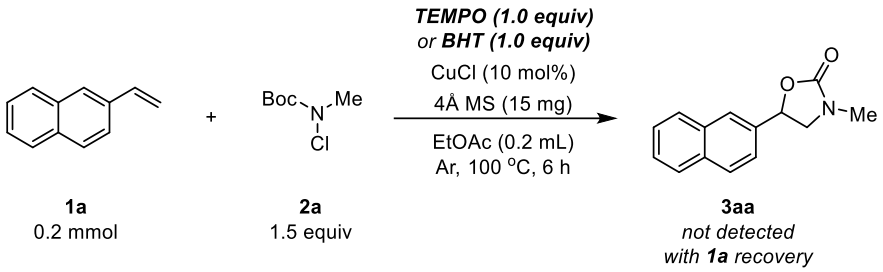
6.5 Arylation of *N*H-2-oxazolidinone 3ab



Adapted from reference,[5] the **3ab** (42.6 mg, 0.2 mmol), copper iodide (0.006 mg, 3 mol%), (±)-*trans*-1,2-diaminocyclohexane (2.3 mg, 10 mol%), potassium carbonate (55.3 mg, 0.4 mmol) and bromobenzene (26 μL, 0.24 mmol) were dissolved in 1,4-dioxane (1.0 mL). The mixture was refluxed at 110 °C for 15 h. The reaction mixture was dissolved in ethyl acetate (10 mL) and filtered through silica gel plug, followed by washing with ethyl acetate (10 mL × 3 times). The reaction mixture was concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel flash column chromatography (using petroleum ether firstly, then PE/EtOAc = 3:1 as elution) to afford the desired products **3ak** as a white solid (47.4 mg, 82% yield).

7. Mechanistic Studies

7.1 Radical capture experiment



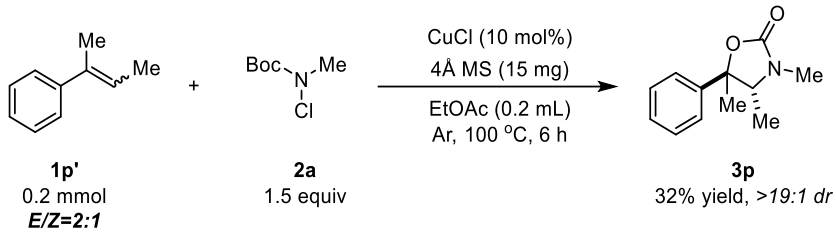
1a
0.2 mmol

2a
1.5 equiv

3aa
not detected
*with **1a** recovery*

A 10 mL Schlenk tube was flame-dried and cooled down under Ar atmosphere. To the Schlenk tube were added **1a** (0.2 mmol), **2a** (49.5 mg, 0.3 mmol, 1.5 equiv), CuCl (2 mg, 0.02 mmol, 10 mol%), 4Å MS (15 mg,), 2,2,6,6-tetramethyl-1-piperidinyloxy (**TEMPO**, 31.4 mg, 0.2 mmol, 1.0 equiv) or butylated hydroxytoluene (**BHT**, 44.07 mg, 0.2 mmol, 1.0 equiv) and ethyl acetate (0.2 mL), then sealed. The mixture was stirred at 100 °C under Ar atmosphere for 6 h. No target product **3aa** and radical capture products were detected in crude reaction solution by ^{1}H NMR and GC-MS, nevertheless with a significant amount of feedstock **1a** recovery.

7.2 Stereoconvergent experiment of *E*/*Z* alkene mixtures



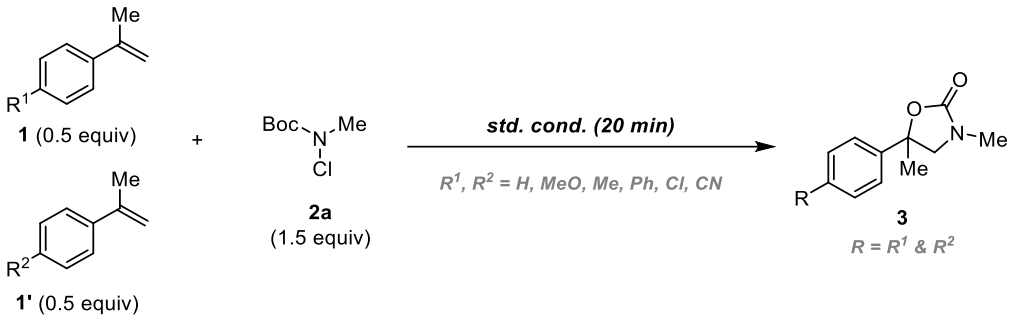
1p'
0.2 mmol
E/Z=2:1

2a
1.5 equiv

3p
32% yield, *>19:1 dr*

In the glove box, to a flame-dried 10 mL reaction tube were charged with alkene **1p'** (0.2 mmol, *E*/*Z* = 2:1), **2a** (49.5 mg, 0.3 mmol, 1.5 equiv), CuCl (2 mg, 0.02 mmol, 10 mol%), 4Å MS (15 mg,) and ethyl acetate (0.2 mL). Then the tube was sealed and taken out of glove box. The mixture was stirred at 100 °C under Ar atmosphere for 6 h. After complete consumption of **1p'** (determined by TLC), the reaction mixture was concentrated under vacuum to afford the crude mixture. The residue was purified by silica gel flash column chromatography (typically using petroleum ether to PE/EtOAc = 3:1 as elution) to afford the stereoconvergent product **3p** (13.1 mg, 32% yield). Only one single diastereoisomeric product was obtained, which was confirmed by crude NMR and pure NMR analysis. The relative configuration of **3p** was confirmed by NOESY experiment.

7.3 Competition experiment and Hammett analysis



In the glove box, to a flame-dried 10 mL reaction tube were charged with **2a** (49.5 mg, 0.15 mmol, 1.5 equiv), α-methyl-styrene **1** (in total: 0.1 mmol, 1.0 equiv; 0.05 mmol, 0.5 equiv each of two differently substituted α-methyl-styrene), CuCl (2 mg, 0.02 mmol, 10 mol%), 4Å MS (15 mg,) and ethyl acetate (0.2 mL). Then the tube was sealed and taken out of glove box. The mixture was stirred at 100 °C under Ar atmosphere for 20 min. The reaction mixture was concentrated under vacuum to afford the crude mixture. CH_2Br_2 was added as internal standard and the conversion of each substituted phenyl ether were directly calculated via the allyl proton peaks by ^{1}H NMR spectroscopy of the crude reaction mixtures. The residue was purified by silica gel flash column chromatography (typically using petroleum ether to PE/EtOAc = 1:1 as elution) when the ratio cannot be analyzed by crude ^{1}H NMR.

Supplementary Table S7. Data for *p*-Cl *vs* *p*-Me

t (min)	yield		k(*p*-Cl)/k(*p*-Me)
	p-Cl	*p*-Me	
0	0	0	-
20	0.1565	0.4083	0.3833

By the isolated yield after column purification.

Supplementary Table S8. Data for *p*-Ph *vs* *p*-CN

t (min)	yield		k(*p*-Ph)/k(*p*-CN)
	p-Ph	*p*-CN	
0	0	0	-
20	0.4143	0.0581	7.1308

By ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Supplementary Table S9. Data for *p*-OMe *vs* *p*-H

t (min)	yield		k(*p*-OMe)/k(*p*-H)
	p-OMe	*p*-H	
0	0	0	-
20	0.2936	0.2303	1.2748

By ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Supplementary Table S10. Data for *p*-Me *vs* *p*-H

t (min)	yield		k(*p*-Me)/k(*p*-H)
	p-Me	*p*-H	
0	0	0	-
20	0.2598	0.2403	1.0811

By ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Supplementary Table S11. Data for *p*-CN *vs* *p*-Me

t (min)	yield		k(*p*-CN)/k(*p*-Me)
	p-CN	*p*-Me	
0	0	0	-
20	0.0359	0.2894	0.1240

By ^{1}H NMR analysis of the crude reaction mixture using CH_2Br_2 as internal standard.

Supplementary Table S12. Relative rates and log k values used for the plots in this manuscript

Entry	Substituent	k_{rel}	$\log(k_{rel})$
1	*p*-Me	1.0811	0.0338
2	*p*-Ph	0.9559[a]	-0.0196
3	*p*-Cl	0.4144[b]	-0.3826
4	*p*-CN	0.1341[c]	-0.8725
5	*p*-OMe	1.2748	0.1054

[a] Calculated from k(*p*-Ph)/k(*p*-CN)•k(*p*-CN)/k(*p*-Me)•k(*p*-Me)/k(*p*-H). [b] Calculated from k(*p*-Cl)/k(*p*-Me)•k(*p*-Me)/k(*p*-H). [c] Calculated from k(*p*-CN)/k(*p*-Me)•k(*p*-Me)/k(*p*-H).

Supplementary Table S13. Overview of Hammett sigma values used.[6]

Entry	Substituent	σ
1	*p*-Me	-0.17
2	*p*-Ph	-0.01
3	*p*-Cl	0.23
4	*p*-CN	0.66
5	*p*-OMe	-0.27

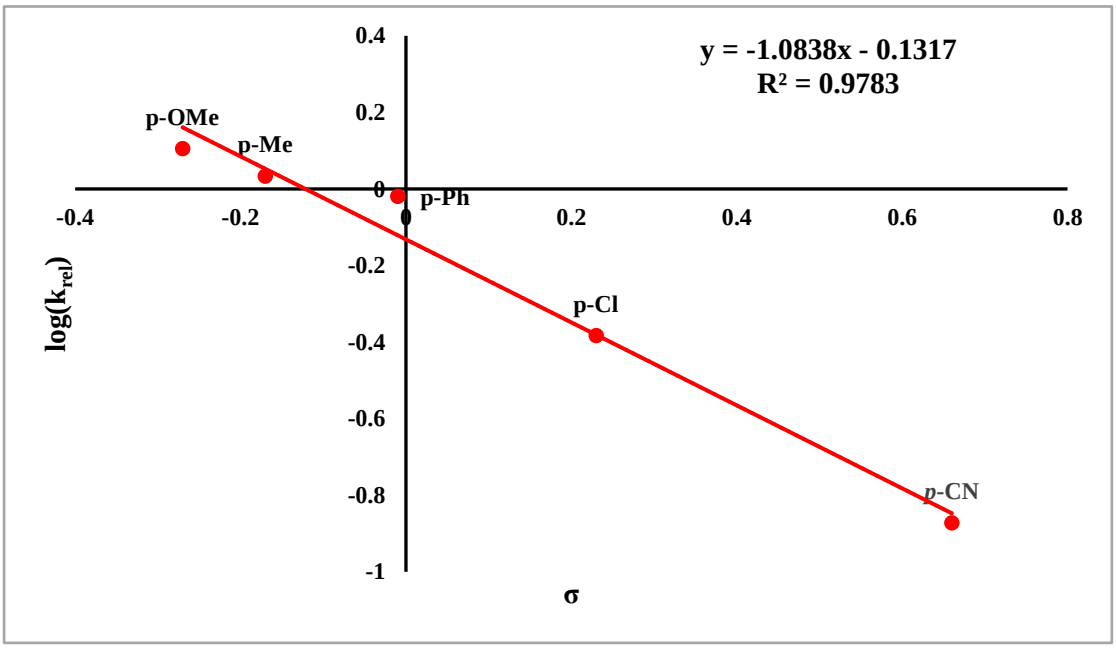


Figure S1. Hammett plot *vs* sigma parameters

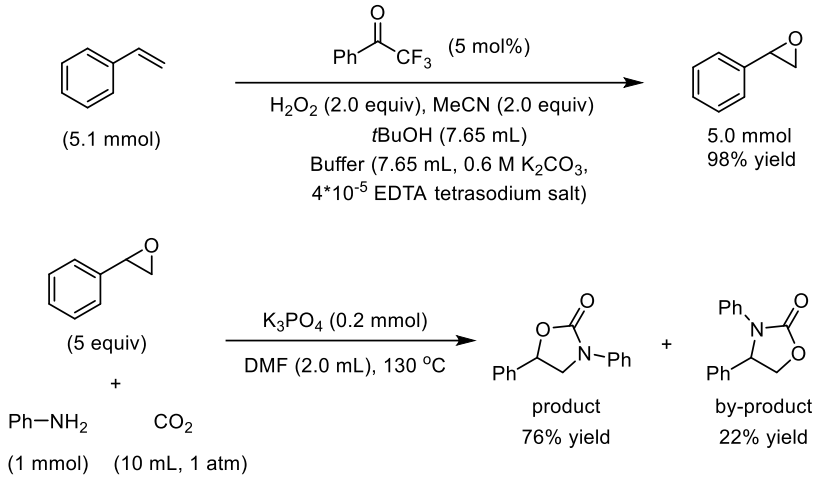
8. Green Metrics

8.1 *E*-factor & atom economy (AE)

E-factor was calculated using Waste Mass/Product Mass.

Atom economy was calculated using Mass of Desired Product/Total Mass of Reactants * 100%.

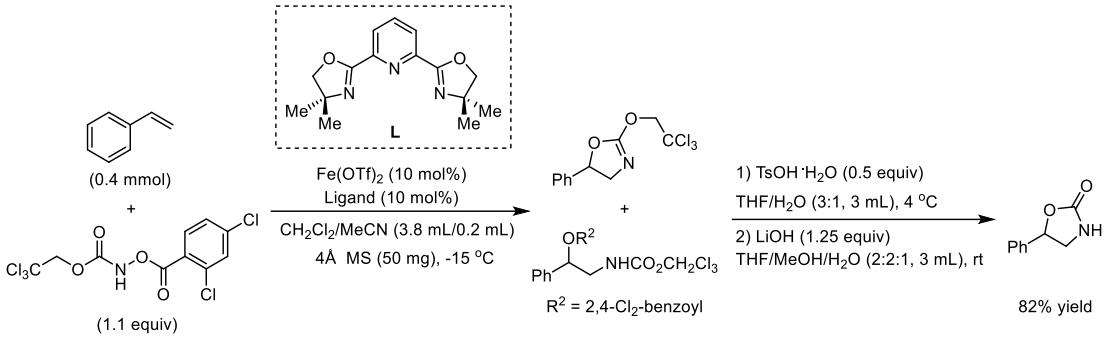
(a) *Green Chem.*, 2017, **19**, 803–808:



***E*-factor:** [5.929 (*t*-BuOH) + 0.531 (styrene) + 0.635 (K_2CO_3 + EDTA-Na) + 0.347 (H_2O_2) + 0.419 (MeCN) + 0.044 (cat.) + 0.093 (aniline) + 0.010 (CO_2) + 0.043 (K_3PO_4) + 1.896 (DMF) - 0.182 (product)] / 0.182 (product) = 53.7

AE: 239.27 / (104.15 + 34.01 + 93.13 + 44.0) *100% = 86.9%

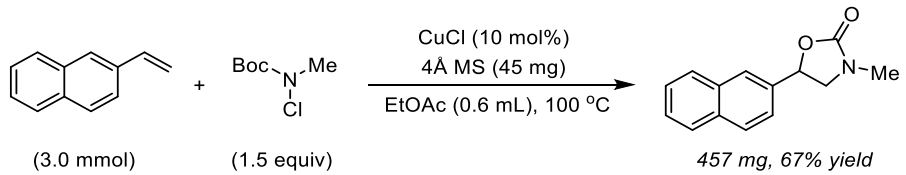
(b) *J. Am. Chem. Soc.*, 2014, **136**, 13186−13189:



***E*-factor:** [5.192 (CH_2Cl_2+MeCN) + 0.042 (styrene) + 0.168 (SM1) + 0.014 (cat.) + 0.011 (ligand) + 0.050 (4Å MS) + 2.003 (THF) + 0.038 (TsOH) + 2.017 (THF+MeOH) + 0.012 (LiOH) - 0.0535 (product)]/ 0.0535 (product) = 177.4

AE: 163.18 / (104.15 + 381.41) *100% = 33.6%

(c) Our approach:



***E*-factor:** [0.541 (EtOAc) + 0.463 (SM1) + 0.745 (SM2) + 0.030 (cat.) + 0.045 (4Å MS) - 0.457 (product)] / 0.457 (product) = 2.9
AE: 227.26 / (154.21 + 165.62) *100% = 71.1%

8.2 Sustainability assessment by safety hazard scores (ES and SHS scores)

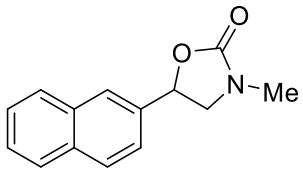
In this manner, each procedure has been assigned a final score given by the sum of each ES and SHS, which gives a numerical quantification (the higher, the worse) of its environmental and safety compatibility.

Table S14. Sustainability assessment of the synthesis of 5-substituted 2-oxazolidinones from alkenes.

	Reaction media				Work-up solvents		
	ES	**SHS**			**ES**	**SHS**	
(a) Ring-opening/cyclization method via epoxide							
t-BuOH	0.48	3.54		Et_2O	0.59	3.27	
Water				Hexane	22.85	5.39	
DMF	0.02	12.60		EtOAc	0.23	2.23	
Total	***0.50***	***16.14***			***23.67***	***10.89***	
***E*-factor:**	**53.7**						
(b) Fe-catalysis from functionalized hydroxylamines							
CH_2Cl_2	0.80	6.28		EtOAc	0.23	2.23	
MeCN	1.81	2.32		CH_2Cl_2	0.80	6.28	
THF	2.38	3.31		Hexane	22.85	5.39	
Water				Acetone	0.35	2.33	
MeOH	0.14	3.77					
Total	***5.13***	***15.68***			***24.23***	***16.23***	
***E*-factor:**	**177.4**						
This method: Cu-catalysed olefin amino-oxygenation							
EtOAc	0.23	2.23		EtOAc	0.23	2.23	
				Hexane	22.85	5.39	
Total	***0.23***	***2.23***			***23.08***	***7.62***	
***E*-factor:**	**2.9**						

9. Characterization of Products

3-methyl-5-(naphthalen-2-yl)oxazolidin-2-one (3aa)



Purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3aa** as a colorless viscous oil (35.5 mg, 78% yield).

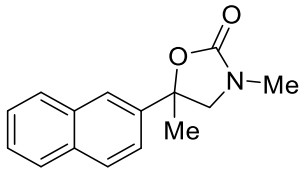
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.92 – 7.81 (m, 4H), 7.56 – 7.47 (m, 2H), 7.42 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.65 (t, *J* = 8.1 Hz, 1H), 3.98 (t, *J* = 8.7 Hz, 1H), 3.52 (dd, *J* = 8.7, 7.3 Hz, 1H), 2.96 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.2, 135.9, 133.3, 133.0, 129.0, 128.1, 127.8, 126.7, 126.6, 124.8, 122.7, 74.3, 54.4, 31.1.

m.p. = 114-115 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{14}H_{13}NO_2Na^+$: 250.0838; found: 250.0844.

3,5-dimethyl-5-(naphthalen-2-yl)oxazolidin-2-one (3ba)



Purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ba** as a white solid (32.8 mg, 68% yield).

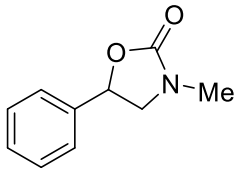
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.91 (d, *J* = 2.0 Hz, 1H), 7.89 – 7.77 (m, 3H), 7.61 – 7.47 (m, 2H), 7.41 (dd, *J* = 8.7, 2.0 Hz, 1H), 3.73 (d, *J* = 8.5 Hz, 1H), 3.70 (d, *J* = 8.4 Hz, 1H), 2.90 (s, 3H), 1.84 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.6, 140.9, 132.9, 132.6, 128.7, 128.1, 127.5, 126.6, 126.3, 122.7, 122.0, 79.7, 60.0, 31.0, 28.6.

m.p. = 127-128 ^{o}C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{15}H_{15}NO_2Na^+$: 264.0995; found: 264.1002.

3-methyl-5-phenyloxazolidin-2-one (3ca)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ca** as a white solid (21.3 mg, 60% yield).

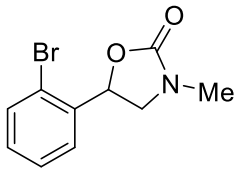
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.44 – 7.31 (m, 5H), 5.47 (t, *J* = 8.1 Hz, 1H), 3.91 (t, *J* = 8.7 Hz, 1H), 3.44 (t, *J* = 8.1 Hz, 1H), 2.92 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.2, 138.6, 128.8, 128.7, 125.5, 74.1, 54.4, 31.0.

m.p. = 57-58 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{10}H_{11}NO_2Na^+$: 200.0682; found: 200.0697.

5-(2-bromophenyl)-3-methyloxazolidin-2-one (3da)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3da** as a colorless viscous oil (29.7 mg, 58% yield).

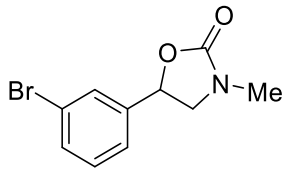
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.66 – 7.48 (m, 2H), 7.44 – 7.31 (m, 1H), 7.25 – 7.16 (m, 1H), 5.71 (dd, *J* = 9.0, 6.3 Hz, 1H), 4.12 (t, *J* = 8.9 Hz, 1H), 3.32 (dd, *J* = 8.9, 6.3 Hz, 1H), 2.89 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.9, 138.7, 132.8, 129.8, 127.9, 126.3, 120.3, 73.0, 53.5, 30.9.

m.p. = 56-57 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{10}H_{10}BrNO_2Na^+$: 277.9787; found: 277.9796.

5-(3-bromophenyl)-3-methyloxazolidin-2-one (3ea)



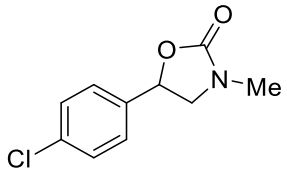
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ea** as a faint yellow viscous oil (25.6 mg, 50% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.56 – 7.42 (m, 2H), 7.31 – 7.21 (m, 2H), 5.5 – 5.4 (m, 1H), 3.92 (t, *J* = 8.8 Hz, 1H), 3.43 (s, 1H), 2.92 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.8, 141.0, 131.8, 130.5, 128.5, 124.0, 122.9, 73.1, 54.2, 31.1.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{10}H_{10}BrNO_2Na^+$: 277.9787; found: 277.9801.

5-(4-chlorophenyl)-3-methyloxazolidin-2-one (3fa)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3fa** as a white solid (22.0 mg, 52% yield).

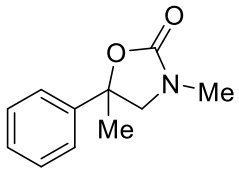
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.37 – 7.28 (m, 2H), 7.12 – 7.03 (m, 2H), 5.45 (t, *J* = 8.1 Hz, 1H), 3.90 (t, *J* = 8.7 Hz, 1H), 3.41 (dd, *J* = 8.8, 7.4 Hz, 1H), 2.91 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) =164.0, 161.6, 158.0, 134.4 (d, *J* = 3.3 Hz), 127.5 (d, *J* = 8.4 Hz), 115.8 (d, *J* = 21.8 Hz), 73.6, 54.4, 31.0.

m.p. = 60-61 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{10}H_{10}ClNO_2Na^+$: 234.0292; found: 234.0230.

3,5-dimethyl-5-phenyloxazolidin-2-one (3ga)



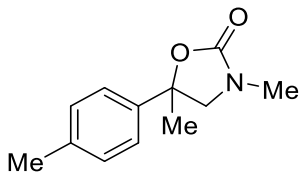
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ga** as a viscous oil (18.7 mg, 46% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.37 (d, *J* = 4.3 Hz, 4H), 7.31 (q, *J* = 4.2 Hz, 1H), 3.64 (s, 2H), 2.88 (s, 3H), 1.74 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.6, 143.8, 128.6, 127.8, 123.9, 79.6, 60.1, 31.0, 28.7.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{11}H_{13}NO_2Na^+$: 214.0838; found: 214.0854.

3,5-dimethyl-5-(*p*-tolyl)oxazolidin-2-one (3ha)



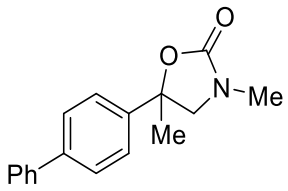
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ha** as a viscous oil (26.3 mg, 64% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.33 – 7.24 (m, 2H), 7.3 – 7.1 (m, 2H), 3.63 (s, 2H), 2.88 (s, 3H), 2.35 (s, 3H), 1.74 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.8, 141.0, 137.7, 129.3, 124.0, 79.7, 60.3, 31.1, 28.8, 21.0.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{15}NO_2Na^+$: 228.0995; found: 228.1017.

5-([1,1'-biphenyl]-4-yl)-3,5-dimethyloxazolidin-2-one (3ia)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ia** as a white solid (32.1 mg, 60% yield).

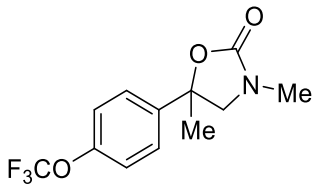
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.68 – 7.53 (m, 4H), 7.51 – 7.40 (m, 4H), 7.40 – 7.31 (m, 1H), 3.69 (d, *J* = 8.4 Hz, 1H), 3.66 (d, *J* = 8.6 Hz, 1H), 2.90 (s, 3H), 1.79 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.6, 142.8, 140.8, 140.3, 128.8, 127.5, 127.3, 127.0, 124.4, 79.5, 60.1, 31.0, 28.6.

m.p. = 140-141 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{17}H_{17}NO_2Na^+$: 290.1151; found: 290.1172.

3,5-dimethyl-5-(4-(trifluoromethoxy)phenyl)oxazolidin-2-one (3ja)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ja** as a viscous oil (29.2 mg, 53% yield).

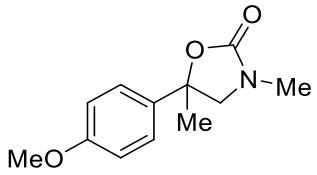
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.41 (d, *J* = 8.5 Hz, 2H), 7.22 (d, *J* = 8.3 Hz, 2H), 3.65 (d, *J* = 8.5 Hz, 1H), 3.61 (d, *J* = 8.5 Hz, 1H), 2.88 (s, 3H), 1.74 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.3, 148.6 (q, *J* = 1.9 Hz), 142.6, 125.6, 121.1, 120.4 (q, *J* = 257.4 Hz), 79.1, 60.0, 31.0, 28.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ (ppm) = -57.9.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}F_3NO_3Na^+$: 298.0661; found: 298.0686.

5-(4-methoxyphenyl)-3,5-dimethyloxazolidin-2-one (3ka)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 3:2) to afford **3ka** as a viscous oil (17.7 mg, 40% yield).

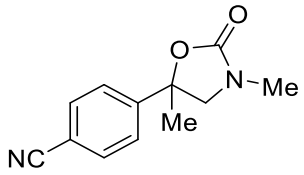
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.34 – 7.26 (m, 2H), 6.94 – 6.85 (m, 2H), 3.80 (s, 3H), 3.61 (d, *J* = 8.2 Hz, 1H), 3.60 (d, *J* = 8.2 Hz, 1H), 2.87 (d, *J* = 1.0 Hz, 3H), 1.72 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.8, 141.0, 137.7, 129.3, 124.0, 79.7, 60.3, 31.1, 28.8, 21.0.

m.p. = 61-62 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{15}NO_3Na^+$: 244.0944; found: 244.0962.

4-(3,5-dimethyl-2-oxooxazolidin-5-yl)benzonitrile (3la)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3la** as a viscous oil (21.6 mg, 50% yield).

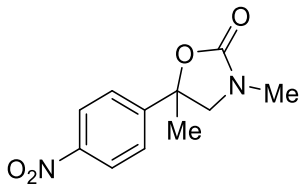
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.75 – 7.63 (m, 2H), 7.54 – 7.46 (m, 2H), 3.68 (d, *J* = 8.6 Hz, 1H), 3.60 (d, *J* = 8.6 Hz, 1H), 2.88 (s, 3H), 1.75 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.0, 148.9, 132.6, 124.9, 118.2, 112.0, 79.0, 59.7, 31.0, 28.5.

m.p. = 146-148 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}N_2O_2Na^+$:239.0791; found: 239.0807.

3,5-dimethyl-5-(4-nitrophenyl)oxazolidin-2-one (3ma)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ma** as a white solid (29.8 mg, 63% yield).

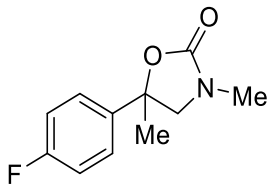
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 8.27 – 8.17 (m, 2H), 7.65 – 7.50 (m, 2H), 3.71 (d, *J* = 8.7 Hz, 1H), 3.63 (d, *J* = 8.6 Hz, 1H), 2.89 (s, 3H), 1.77 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 156.9, 150.8, 147.5, 125.2, 124.0, 79.0, 59.7, 31.0, 28.6.

m.p. = 67-69 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}N_2O_4Na^+$:259.0689; found: 259.0706.

5-(4-fluorophenyl)-3,5-dimethyloxazolidin-2-one (3na)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3na** as a viscous oil (20.9 mg, 50% yield).

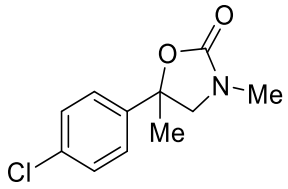
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm)= 7.45 – 7.30 (m, 2H), 7.14 – 6.99 (m, 2H), 3.63 (d, *J* = 8.5 Hz, 1H), 3.60 (d, *J* = 8.4 Hz, 1H), 2.88 (s, 3H), 1.73 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 162.2 (d, *J* = 246.8 Hz), 157.5, 139.7 (d, *J* = 3.2 Hz), 125.9 (d, *J* = 8.3 Hz), 115.6 (d, *J* = 21.6 Hz), 79.3, 60.2, 31.1, 28.8.

^{19}F NMR (376 MHz, $CDCl_3$) δ (ppm) = -114.4.

HRMS (ESI^+): calculated $[M+H]^+$ for $C_{12}H_{11}FNO_2H^+$: 210.0925; found: 210.0942.

5-(4-chlorophenyl)-3,5-dimethyloxazolidin-2-one (3oa)



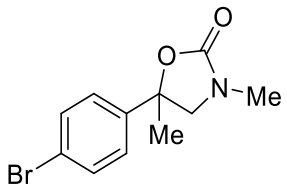
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3oa** as a viscous oil (23.0 mg, 51% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.39 – 7.24 (m, 1H), 3.63 (d, *J* = 8.5 Hz, 1H), 3.59 (d, *J* = 8.5 Hz, 1H), 2.87 (s, 1H), 1.72 (s, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.3, 142.4, 133.7, 128.8, 125.5, 79.1, 60.0, 31.0, 28.6.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}ClNO_2Na^+$: 248.0449; found: 248.0454.

5-(4-bromophenyl)-3,5-dimethyloxazolidin-2-one (3pa)



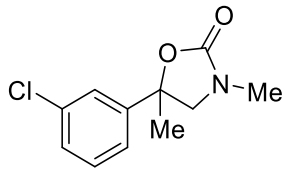
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3pa** as a viscous oil (33.0 mg, 61% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.51 (d, *J* = 8.5 Hz, 2H), 7.27 (d, *J* = 8.7 Hz, 2H), 3.65 (d, *J* = 8.5 Hz, 1H), 3.60 (d, *J* = 8.5 Hz, 1H), 2.89 (s, 3H), 1.73 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.3, 142.9, 131.7, 125.8, 121.8, 79.2, 59.9, 31.0, 28.5.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}BrNO_2Na^+$: 291.9944; found: 291.9962.

5-(3-chlorophenyl)-3,5-dimethyloxazolidin-2-one (3qa)



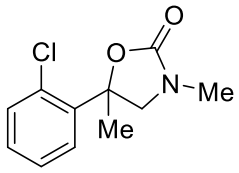
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3qa** as a viscous oil (25.3 mg, 56% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.46 – 7.36 (m, 1H), 7.36 – 7.23 (m, 3H), 3.65 (d, *J* = 8.8 Hz, 1H), 3.62 (d, *J* = 8.3 Hz, 1H), 2.89 (s, 3H), 1.75 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.2, 145.9, 134.6, 130.0, 128.0, 124.4, 122.2, 79.0, 59.9, 31.0, 28.6.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}ClNO_2Na^+$:248.0449; found: 248.0472.

5-(2-chlorophenyl)-3,5-dimethyloxazolidin-2-one (3ra)



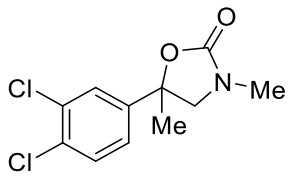
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ra** as a viscous oil (13.5 mg, 30% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.77 (dd, *J* = 7.7, 1.9 Hz, 1H), 7.39 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.34 – 7.23 (m, 2H), 3.88 (d, *J* = 9.2 Hz, 1H), 3.83 (d, *J* = 9.2 Hz, 1H), 2.90 (s, 3H), 1.84 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 156.7, 141.0, 130.8, 129.6, 129.3, 127.3, 126.8, 79.7, 58.8, 30.9, 26.9.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}ClNO_2Na^+$: 248.0449; found: 248.0648.

5-(3,4-dichlorocyclohexa-1,5-dien-1-yl)-3,5-dimethyloxazolidin-2-one (3sa)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3sa** as a viscous oil (30.3 mg, 58% yield).

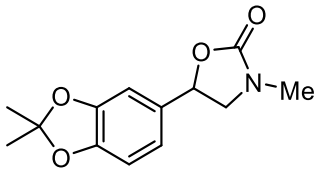
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.54 – 7.39 (m, 2H), 7.20 (dd, *J* = 8.4, 2.3 Hz, 1H), 3.63 (d, *J* = 8.6 Hz, 1H), 3.58 (d, *J* = 8.6 Hz, 1H), 2.87 (s, 3H), 1.71 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.1, 144.1, 133.0, 132.1, 130.8, 126.4, 123.6, 78.7, 59.9, 31.1, 28.6.

m.p. = 94-95 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{11}H_{13}Cl_2NO_2Na^+$: 284.0216; found: 284.0222.

5-(2,2-dimethylbenzo[d][1,3]dioxol-5-yl)-3-methyloxazolidin-2-one (3ta)



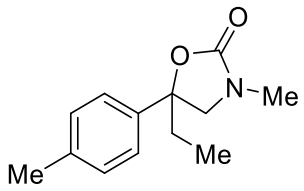
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ta** as a viscous oil. One batch of reaction afforded product in 52% yield (25.9 mg), another batch gave product in 48% yield (25.0 mg), thus the average yield is 50% yield.

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 6.79 – 6.66 (m, 3H), 5.36 (t, *J* = 8.1 Hz, 1H), 3.84 (td, *J* = 8.7, 1.3 Hz, 1H), 3.43 (dd, *J* = 8.7, 7.4 Hz, 1H), 2.92 (s, 3H), 1.67 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.2, 148.1, 147.9, 131.6, 119.1, 118.5, 108.1, 105.9, 74.4, 54.5, 31.1, 25.8.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{15}NO_4Na^+$: 272.0893; found: 272.0902

5-ethyl-3-methyl-5-(*p*-tolyl)oxazolidin-2-one (3ua)



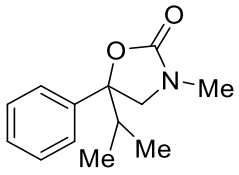
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ua** as a viscous oil (25.4 mg, 58% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.22 (d, *J* = 8.3 Hz, 2H), 7.17 (d, *J* = 8.1 Hz, 2H), 3.65 (d, *J* = 8.4 Hz, 1H), 3.60 (d, *J* = 8.4 Hz, 1H), 2.85 (s, 3H), 2.34 (s, 3H), 2.06 – 1.91 (m, 2H), 0.84 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.7, 139.7, 137.3, 129.1, 124.3, 82.3, 58.9, 34.7, 30.9, 20.9, 7.7.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{17}NO_2Na^+$: 242.1151; found: 242.1173.

5-isopropyl-3-methyl-5-phenyloxazolidin-2-one (3va)



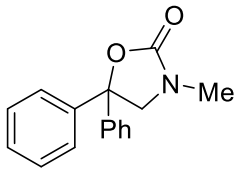
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3va** as a viscous oil (11.8 mg, 27% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.40 – 7.27 (m, 5H), 3.79 (d, *J* = 8.6 Hz, 1H), 3.66 (d, *J* = 8.6 Hz, 1H), 2.85 (s, 3H), 2.18 – 2.05 (m, 1H), 0.96 (d, *J* = 6.7 Hz, 3H), 0.80 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.7, 142.3, 128.2, 127.6, 125.0, 84.3, 57.0, 37.9, 30.8, 16.9, 16.2.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{17}NO_2Na^+$: 242.1151; found: 242.1167.

3-methyl-5,5-diphenyloxazolidin-2-one (3wa)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 2:1) to afford **3wa** as a colorless solid (25.3 mg, 50% yield).

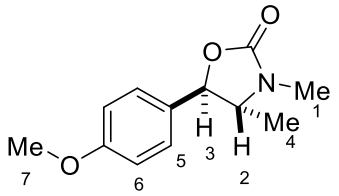
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.45 – 7.39 (m, 4H), 7.39 – 7.33 (m, 4H), 7.32 – 7.27 (m, 2H), 4.13 (s, 2H), 2.91 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.3, 142.6, 128.6, 128.1, 125.3, 82.7, 59.7, 31.0.

m.p. = 170-172 ^{o}C.

HRMS (ESI^+): calculated $[M+H]^+$ for $C_{16}H_{14}NO_2H^+$: 254.1176; found: 254.1191.

5-(4-methoxyphenyl)-3,4-dimethyloxazolidin-2-one (3xa)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3xa** as a colorless viscous oil (19.9 mg, 45% yield, >19:1 dr).

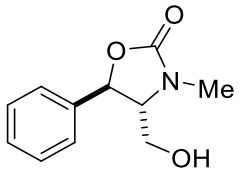
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.33 – 7.23 (m, 2H), 6.97 – 6.88 (m, 2H), 4.84 (d, *J* = 8.0 Hz, 1H), 3.82 (s, 3H), 3.57 – 3.50 (m, 1H), 2.87 (s, 3H), 1.33 (d, *J* = 6.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 160.1, 157.9, 129.3, 127.6, 114.2, 82.4, 61.2, 55.3, 28.7, 17.1.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{15}NO_3Na^+$: 244.0944; found: 244.0954.

The NOE spectrum indicates that H-2 and H-5 are correlated, while H-3 is correlated to H-4.

4-(hydroxymethyl)-3-methyl-5-phenyloxazolidin-2-one (3ya)



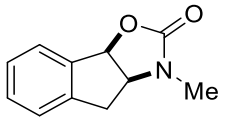
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ya** as a colorless viscous oil (8.7 mg, 21% yield, >19:1 dr).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.43 – 7.34 (m, 5H), 5.41 (d, *J* = 6.3 Hz, 1H), 4.01 – 3.90 (m, 1H), 3.82 – 3.69 (m, 1H), 3.62 – 3.53 (m, 1H), 3.08 – 3.00 (m, 1H), 2.93 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.5, 138.7, 128.9, 128.8, 125.6, 76.7, 66.7, 59.8, 29.3.

HRMS (ESI^+): calculated $[M+H]^+$ for $C_{11}H_{13}NO_3H^+$: 208.0968; found: 208.0967.

3-methyl-3,3a,4,8b-tetrahydro-2H-indeno[2,1-d]oxazol-2-one (3za)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3za** as a faint yellow solid (23.1 mg, 61% yield, >19:1 dr).

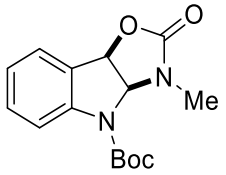
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.49 (d, *J* = 7.4 Hz, 1H), 7.39 – 7.26 (m, 3H), 5.82 (d, *J* = 7.5 Hz, 1H), 4.50 – 4.41 (m, 1H), 3.16 (s, 2H), 2.89 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.5, 140.2, 138.4, 130.1, 127.7, 126.2, 125.4, 80.7, 60.6, 35.9, 29.4.

m.p. = 56-58 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{11}H_{11}NO_2Na^+$: 212.0682; found: 212.0694.

***tert*-butyl 3-methyl-2-oxo-2,3,3a,8b-tetrahydro-4H-oxazolo[4,5-b]indole-4-carboxylate (3a)**



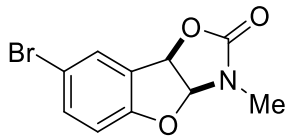
Product **3a** was synthesized according to the General Procedure for Synthesis of Products **3** but using CuCl (1.0 equiv) instead. Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3a** as a faint yellow oil (29.0 mg, 50% yield, >19:1 dr).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.46 – 7.33 (m, 2H), 7.10 (t, *J* = 7.5 Hz, 1H), 5.96 (d, *J* = 7.4 Hz, 1H), 3.04 (s, 3H), 1.60 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 156.6, 152.9, 141.3, 131.2, 127.0, 126.3, 124.0, 116.5, 83.1, 75.6, 75.3, 30.4, 28.3.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{15}H_{18}N_2O_4Na^+$: 313.1159; found: 313.1142.

7-bromo-3-methyl-3a,8b-dihydrobenzofuro[2,3-d]oxazol-2(3H)-one (3b)



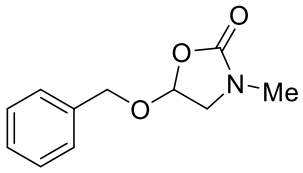
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3b** as viscous oil. One batch of reaction afforded product in 29% yield (15.6 mg), another batch gave product in 32% yield (17.2 mg), thus the average yield is 30% yield (>19:1 dr).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.55 (d, *J* = 2.1 Hz, 1H), 7.43 (dd, *J* = 8.6, 2.2 Hz, 1H), 6.78 (d, *J* = 8.6 Hz, 1H), 6.03 (d, *J* = 6.5 Hz, 1H), 5.90 (d, *J* = 6.4 Hz, 1H), 3.05 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.0, 156.1, 135.2, 129.7, 124.8, 113.9, 112.8, 93.5, 76.9, 29.3.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{10}H_8BrNO_3Na^+$: 291.9580; found: 291.9589.

5-(benzyloxy)-3-methyloxazolidin-2-one (3c)



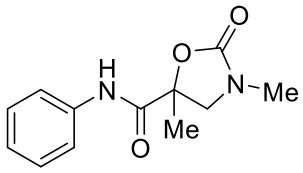
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3c** as a colorless viscous oil. One batch of reaction afforded product in 34% yield (14.1 mg), another batch gave product in 47% yield (19.4 mg), thus the average yield is 41% yield.

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.40 – 7.30 (m, 5H), 5.52 (dd, *J* = 6.4, 2.4 Hz, 1H), 4.90 (d, *J* = 11.4 Hz, 1H), 4.61 (d, *J* = 11.4 Hz, 1H), 3.69 (dd, *J* = 10.0, 6.4 Hz, 1H), 3.40 (dd, *J* = 10.0, 2.4 Hz, 1H), 2.90 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 156.8, 136.0, 128.6, 128.4, 128.3, 95.4, 70.5, 53.0, 30.5.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{13}NO_3Na^+$: 230.0788 found: 230.0800.

3,5-dimethyl-2-oxo-*N*-phenyloxazolidine-5-carboxamide (3d)



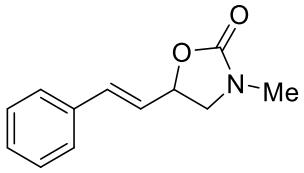
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3d** as a colorless viscous oil (15.5 mg, 33% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.60 – 7.53 (m, 2H), 7.39 – 7.31 (m, 2H), 7.20 – 7.13 (m, 1H), 3.98 (d, J = 9.7 Hz, 1H), 3.46 (d, J = 9.3 Hz, 1H), 2.91 (s, 3H), 1.74 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 169.9, 155.9, 136.5, 129.1, 125.2, 120.0, 78.7, 56.0, 30.9, 24.7.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{14}N_2O_3Na^+$: 257.0897; found: 257.0896.

(*E*)-3-methyl-5-styryloxazolidin-2-one (3e)



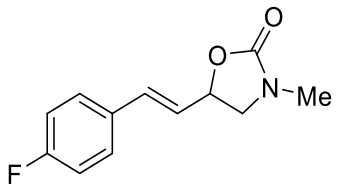
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3e** a viscous oil (22.4 mg, 55% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.42 – 7.24 (m, 6H), 6.76 – 6.67 (m, 1H), 6.25 – 6.15 (m, 1H), 5.13 – 5.03 (m, 1H), 3.74 (t, *J* = 8.6 Hz, 1H), 3.34 (t, *J* = 8.0 Hz, 1H), 2.90 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.2, 135.5, 134.2, 128.7, 128.6, 126.8, 125.2, 73.7, 52.6, 31.1.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{13}NO_2Na^+$: 226.0838; found: 226.0847.

***(E)*-5-(4-fluorostyryl)-3-methyloxazolidin-2-one (3f)**



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3f** a viscous oil (25.2 mg, 57% yield).

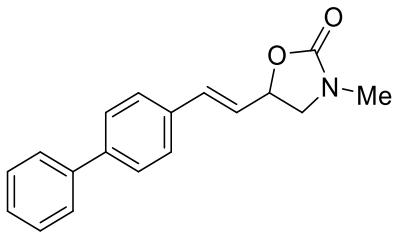
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.36 (dd, *J* = 8.5, 5.3 Hz, 2H), 7.02 (t, *J* = 8.5 Hz, 2H), 6.74 – 6.60 (m, 1H), 6.20 – 6.03 (m, 1H), 5.13 – 5.00 (m, 1H), 3.75 (t, *J* = 8.6 Hz, 1H), 3.35 (t, *J* = 7.2 Hz，1H), 2.90 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 162.8 (d, *J* = 246.6 Hz), 158.1, 132.9, 131.6 (d, *J* = 3.4 Hz), 128.4 (d, *J* = 7.9 Hz), 124.9 (d, *J* = 2.2 Hz), 115.7 (d, *J* = 21.2 Hz), 73.5, 52.5, 31.1.

^{19}F NMR (376 MHz, $CDCl_3$) δ (ppm) = -112.8.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{12}FNO_2Na^+$: 244.0744; found: 244.0763.

***(E)*-5-(2-([1,1'-biphenyl]-4-yl)vinyl)-3-methyloxazolidin-2-one (3g)**



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3g** a viscous oil (25.7 mg, 46% yield).

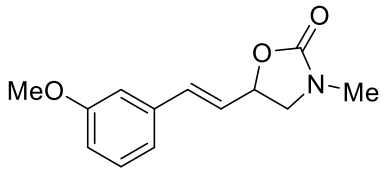
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.68 – 7.54 (m, 4H), 7.52 – 7.40 (m, 4H), 7.39 – 7.31 (m, 1H), 6.83 – 6.72 (m, 1H), 6.31 – 6.18 (m, 1H), 5.21 – 5.03 (m, 1H), 3.76 (t, *J* = 8.6 Hz, 1H), 3.37 (dd, *J* = 8.7, 7.2 Hz, 1H), 2.92 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.2, 141.3, 140.4, 134.5, 133.7, 128.9, 127.6, 127.4, 127.3, 127.0, 125.2, 73.8, 52.6, 31.2.

m.p. = 153-154 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{18}H_{17}NO_2Na^+$: 302.1151; found: 302.1170.

***(E)*-5-(3-methoxystyryl)-3-methyloxazolidin-2-one (3h)**



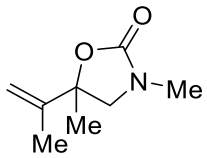
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3h** as a colorless viscous oil (33.6 mg, 72% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.30 – 7.21 (m, 1H), 6.99 (d, *J* = 7.7 Hz, 1H), 6.92 (s, 1H), 6.85 (dd, *J* = 8.2, 2.4 Hz, 1H), 6.74 – 6.65 (m, 1H), 6.26 – 6.15 (m, 1H), 5.14 – 5.03 (m, 1H), 3.82 (s, 3H), 3.75 (t, *J* = 8.6 Hz, 1H), 3.35 (dd, *J* = 8.7, 7.2 Hz, 1H), 2.91 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 159.8, 158.1, 136.8, 133.9, 129.7, 125.4, 119.3, 114.1, 111.9, 73.5, 55.2, 52.5, 31.0.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{15}NO_3Na^+$: 256.0944; found: 256.0961.

3,5-dimethyl-5-(prop-1-en-2-yl)oxazolidin-2-one (3i)



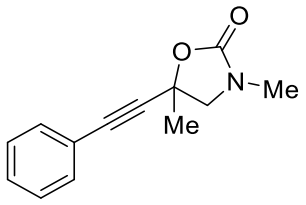
The quantity of **1i**, **2a** were modified to 2.0 equiv and 0.2 mmol, the remaining conditions were maintained which is according to the General Procedure for Synthesis of Products **3**. Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3i** as a colorless viscous oil (17.4 mg, 56% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 5.08 (s, 1H), 4.96 – 4.87 (m, 1H), 3.45 (d, *J* = 7.3 Hz, 1H), 3.30 (d, *J* = 7.3 Hz, 1H), 2.87 (s, 3H), 1.77 (s, 3H), 1.53 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.7, 145.2, 111.3, 80.3, 57.3, 31.0, 25.6, 18.3.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_8H_{13}NO_2Na^+$: 178.0838; found: 178.0853.

3,5-dimethyl-5-(phenylethynyl)oxazolidin-2-one (3j)



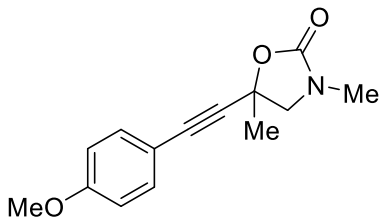
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3j** as a colorless viscous oil (31.4 mg, 73% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.43 (dd, *J* = 7.6, 2.0 Hz, 2H), 7.39 – 7.27 (m, 2H), 3.80 (d, *J* = 8.5 Hz, 1H), 3.50 (d, *J* = 8.6 Hz, 1H), 2.92 (s, 3H), 1.79 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 156.9, 131.7, 128.9, 128.3, 121.4, 87.9, 85.4, 71.6, 59.5, 30.9, 27.9.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{13}NO_2Na^+$: 238.0838; found: 238.0847.

5-((4-methoxyphenyl)ethynyl)-3,5-dimethyloxazolidin-2-one (3k)



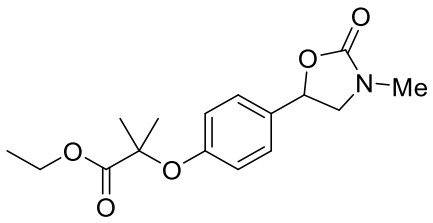
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3k** as a viscous oil (35.3 mg, 72% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.39 – 7.29 (m, 2H), 6.84 – 6.76 (m, 2H), 3.76 (d, *J* = 7.9 Hz, 4H), 3.47 (d, *J* = 8.6 Hz, 1H), 2.89 (s, 3H), 1.75 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 159.9, 156.9, 133.2, 113.8, 113.3, 86.6, 85.4, 71.7, 59.4, 55.2, 30.8, 27.9.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{14}H_{15}NO_3Na^+$: 268.0944; found: 268.0953.

ethyl 2-methyl-2-(4-(3-methyl-2-oxooxazolidin-5-yl)phenoxy)propanoate (3l)



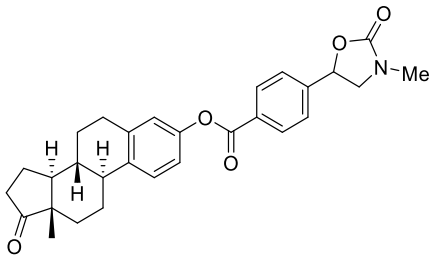
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3l** as a faint yellow oil (34.6 mg, 40% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.26 – 7.17 (m, 2H), 6.88 – 6.79 (m, 2H), 5.40 (t, *J* = 8.1 Hz, 1H), 4.22 (q, *J* = 7.1 Hz, 2H), 3.85 (t, *J* = 8.7 Hz, 1H), 3.43 (dd, *J* = 8.8, 7.6 Hz, 1H), 2.91 (s, 3H), 1.59 (s, 6H), 1.29 – 1.10 (m, 4H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 174.1, 158.2, 156.0, 131.8, 126.9, 119.1, 79.2, 74.1, 61.5, 54.4, 31.1, 25.3, 14.1.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{16}H_{21}NO_5Na^+$: 330.1312; found: 330.1319.

***(8R,9S,13S,14S)*-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl 4-(3-methyl-2-oxooxazolidin-5-yl)benzoate (3m)**



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3m** as a white solid (38.8 mg, 41% yield, 11:1 dr).

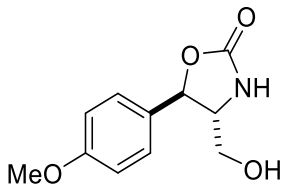
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 8.39 – 7.96 (m, 2H), 7.58 – 7.45 (m, 2H), 7.34 (dd, *J* = 8.1, 8.3 Hz, 1H), 7.03 – 6.90 (m, 1H), 5.60 – 5.52 (m, 1H), 3.98 (t, *J* = 9.3 Hz, 1H), 3.43 (dd, *J* = 9.9, 8.4 Hz, 1H), 2.92 (s, 4H), 2.58 – 2.36 (m, 1H), 2.25 – 2.09 (m, 1H), 2.13 – 1.91 (m, 2H), 1.71 – 1.38 (m, 3H), 0.90 (s, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 220.8, 164.8, 157.8, 148.6, 144.3, 138.1, 137.6, 130.7, 130.0, 126.5, 125.4, 121.6, 118.7, 73.4, 54.2, 50.4, 47.9, 44.1, 38.0, 35.8, 31.5, 31.1, 29.4, 26.3, 25.7, 21.6, 13.8.

m.p. = 125-126 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{29}H_{31}NO_5Na^+$: 496.2094; found: 496.2088.

4-(hydroxymethyl)-5-(4-methoxyphenyl)-3-methyloxazolidin-2-one (3n)



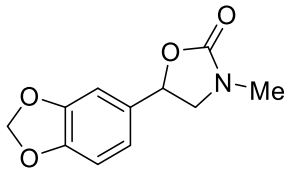
The product **3n** was synthesized according to the General Procedure but at room temperature with 2.0 equivalent of **2b** instead. Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3n** as a viscous oil (17.0 mg, 38% yield, >19:1 dr).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.33 (d, *J* = 8.7 Hz, 2H), 6.94 (d, *J* = 8.7 Hz, 2H), 5.55 (d, *J* = 8.6 Hz, 1H), 4.12 – 4.02 (m, 1H), 3.82 (s, 3H), 3.74 – 3.62 (m, 2H), 2.20 (dd, *J* = 8.7, 4.0 Hz, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 160.7, 157.3, 128.2, 127.3, 114.4, 77.9, 70.8, 57.4, 55.4.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{11}H_{13}NO_4Na^+$: 246.0737; found: 246.0761.

5-(benzo[d][1,3]dioxol-5-yl)-3,5-dimethyloxazolidin-2-one (3o)



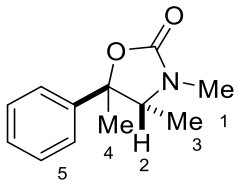
Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3o** as a viscous oil (28.3 mg, 64% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 6.89 – 6.73 (m, 3H), 5.97 (s, 2H), 5.43 – 5.33 (m, 1H), 3.85 (t, *J* = 8.7 Hz, 1H), 3.40 (dd, *J* = 8.8, 7.5 Hz, 1H), 2.91 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.0, 148.2, 148.0, 132.3, 119.6, 108.3, 106.0, 101.3, 74.2, 54.4, 31.0.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{11}H_{11}NO_4Na^+$: 244.0580; found: 244.0598

3,4,5-trimethyl-5-phenyloxazolidin-2-one (3p)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3p** as a viscous oil (13.1 mg, 32% yield, > 19:1 dr).

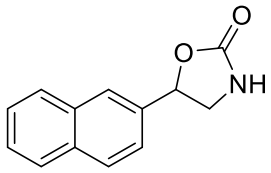
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.42 – 7.33 (m, 4H), 7.35 – 7.29 (m, 1H), 3.70 (q, *J* = 6.6 Hz, 1H), 2.80 (s, 3H), 1.61 (s, 3H), 1.35 (d, *J* = 6.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.4, 144.3, 128.6, 127.8, 124.0, 83.0, 63.1, 28.9, 22.3, 13.8.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{12}H_{15}NO_2Na^+$: 228.0995; found: 228.0976.

The NOE spectra indicate that H-2 and H-5 are correlated, while H-3 is correlated with H-4.

5-(naphthalen-2-yl)oxazolidin-2-one (3ab)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ab** as a white solid (28.6 mg, 67% yield).

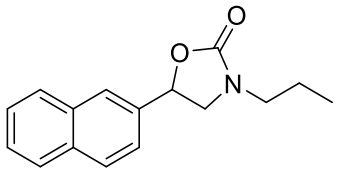
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.94 – 7.79 (m, 4H), 7.56 – 7.49 (m, 2H), 7.46 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.80 (t, *J* = 8.1 Hz, 1H), 5.49 (s, 1H), 4.06 (t, *J* = 8.7 Hz, 1H), 3.63 (t, *J* = 8.1 Hz, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 159.4, 135.6, 133.4, 133.0, 129.1, 128.1, 127.8, 126.7, 126.7, 125.0, 122.8, 78.0, 48.2.

m.p. = 136-137 ºC.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{13}H_{11}NO_2Na^+$: 236.0682; found: 236.0683.

5-(naphthalen-2-yl)-3-propyloxazolidin-2-one (3ac)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ac** as a white solid (34.5 mg, 48% yield).

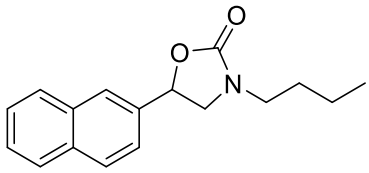
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.94 – 7.78 (m, 4H), 7.58 – 7.45 (m, 2H), 7.42 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.74 – 5.55 (m, 1H), 3.97 (t, *J* = 8.8 Hz, 1H), 3.49 (dd, *J* = 8.7, 7.3 Hz, 1H), 3.40 – 3.14 (m, 2H), 1.67 – 1.52 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.1, 136.2, 133.3, 133.1, 129.1, 128.1, 127.8, 126.7, 126.6, 124.8, 122.7, 74.4, 52.1, 45.9, 20.7, 11.1.

m.p. = 98-99 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{16}H_{17}NO_2Na^+$: 278.1151; found: 278.1143.

3-butyl-5-(naphthalen-2-yl)oxazolidin-2-one (3ad)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ad** as a white solid (26.9 mg, 50% yield).

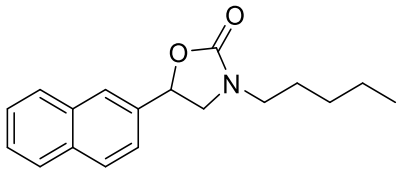
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.92 – 7.77 (m, 4H), 7.56 – 7.45 (m, 2H), 7.45 – 7.37 (m, 1H), 5.64 (t, *J* = 8.1 Hz, 1H), 3.97 (t, *J* = 8.8 Hz, 1H), 3.57 – 3.42 (m, 1H), 3.42 – 3.22 (m, 2H), 1.54 (dd, *J* = 8.6, 6.4 Hz, 2H), 1.41 – 1.31 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.9, 136.1, 133.2, 133.0, 129.0, 128.0, 127.7, 126.6, 126.5, 124.7, 122.6, 74.3, 52.0, 43.9, 29.3, 19.8, 13.6.

m.p. = 105-106 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{17}H_{19}NO_2Na^+$: 292.1308; found: 292.1312.

5-(naphthalen-2-yl)-3-pentyloxazolidin-2-one (3ae)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ae** as a white solid (27.2 mg, 48% yield).

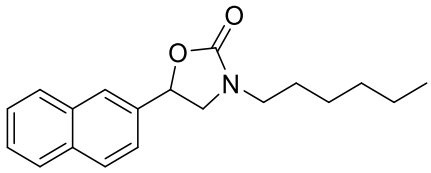
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.91 – 7.80 (m, 4H), 7.55 – 7.46 (m, 2H), 7.42 (d, *J* = 8.5 Hz, 1H), 5.65 (t, *J* = 8.1 Hz, 1H), 3.98 (t, *J* = 8.8 Hz, 1H), 3.49 (t, *J* = 8.0 Hz, 1H), 3.44 – 3.19 (m, 2H), 1.56 (p, *J* = 7.3 Hz, 2H), 1.38 – 1.27 (m, 4H), 0.89 (t, *J* = 6.7 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.9, 136.1, 133.2, 133.0, 129.0, 128.0, 127.7, 126.6, 126.5, 124.8, 122.7, 74.3, 52.0, 44.1, 28.7, 27.0, 22.2, 13.9.

m.p. = 106-107 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{18}H_{21}NO_2Na^+$: 306.1465; found: 306.1452.

3-hexyl-5-(naphthalen-2-yl)oxazolidin-2-one (3af)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3af** as a white solid (25.6 mg, 43% yield).

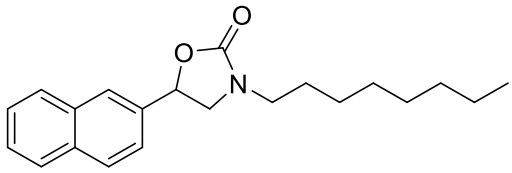
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.94 – 7.81 (m, 4H), 7.57 – 7.48 (m, 2H), 7.42 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.72 – 5.58 (m, 1H), 3.98 (t, *J* = 8.8 Hz, 1H), 3.49 (dd, *J* = 8.7, 7.3 Hz, 1H), 3.43 – 3.23 (m, 2H), 1.63 – 1.49 (m, 2H), 1.36 – 1.25 (m, 7H), 0.94 – 0.77 (m, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.9, 136.1, 133.2, 133.0, 129.0, 128.0, 127.7, 126.6, 126.5, 124.8, 122.7, 74.3, 52.1, 44.2, 31.4, 27.3, 26.2, 22.5, 14.0.

m.p. = 101-102 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{19}H_{23}NO_2Na^+$: 320.1621; found: 320.1633.

5-(naphthalen-2-yl)-3-octyloxazolidin-2-one (3ag)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ag** as a white solid (27.3 mg, 42% yield).

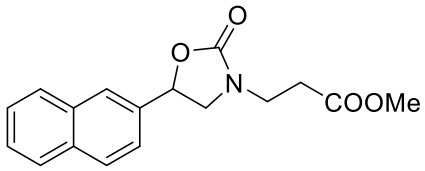
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.93 – 7.79 (m, 4H), 7.58 – 7.48 (m, 2H), 7.42 (d, *J* = 8.5 Hz, 1H), 5.65 (t, *J* = 8.2 Hz, 1H), 3.97 (t, *J* = 8.0 Hz, 1H), 3.49 (t, *J* = 8.6 Hz, 1H), 3.41 – 3.23 (m, 2H), 1.63 – 1.49 (m, 2H), 1.34 – 1.22 (m, 10H), 0.92 – 0.81 (m, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.9, 136.1, 133.2, 133.0, 129.0, 128.0, 127.7, 126.6, 126.5, 124.7, 122.6, 74.3, 52.0, 44.2, 31.7, 29.1, 29.1, 27.3, 26.6, 22.6, 14.0.

m.p. = 103-104 °C.

HRMS (ESI^+): calculated $[M+H]^+$ for $C_{21}H_{27}NO_2H^+$: 326.2115; found: 326.2114.

methyl 3-(5-(naphthalen-2-yl)-2-oxooxazolidin-3-yl)propanoate (3ah)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ah** as a viscous oil (20.4 mg, 34% yield).

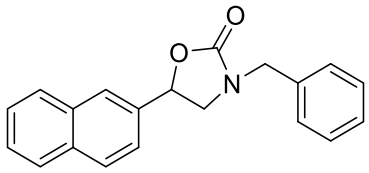
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.90 – 7.82 (m, 4H), 7.54 – 7.49 (m, 2H), 7.41 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.64 (t, *J* = 8.1 Hz, 1H), 4.06 (t, *J* = 8.8 Hz, 1H), 3.66 (s, 3H), 3.65 – 3.61 (m, 1H), 3.61 – 3.54 (m, 2H), 2.71 – 2.60 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 172.0, 157.8, 135.7, 133.3, 133.0, 129.0, 128.0, 127.7, 126.7, 126.6, 124.9, 122.7, 74.7, 52.8, 51.9, 40.1, 32.6.

m.p. = 78-79 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{17}H_{17}NO_4Na^+$: 322.1050; found: 322.1043.

3-benzyl-5-(naphthalen-2-yl)oxazolidin-2-one (3ai)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3ai** as a white solid (17.0 mg, 28% yield).

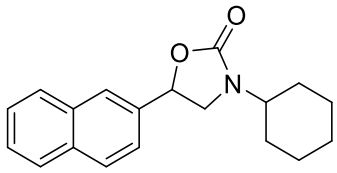
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.9 – 7.8 (m, 4H), 7.5 – 7.5 (m, 2H), 7.4 – 7.3 (m, 6H), 5.6 (t, *J* = 8.1 Hz, 1H), 4.6 (d, *J* = 14.8 Hz, 1H), 4.4 (d, *J* = 14.8 Hz, 1H), 3.8 (t, *J* = 8.8 Hz, 1H), 3.4 (dd, *J* = 8.8, 7.4 Hz, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 158.0, 143.3, 135.8, 135.6, 133.2, 133.0, 129.0, 128.9, 128.1, 128.0, 127.7, 126.6, 126.6, 124.8, 122.7, 74.6, 51.4, 48.4.

m.p. = 132-133 ^{o}C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{20}H_{17}NO_2Na^+$: 326.1151; found: 326.1151.

3-cyclohexyl-5-(naphthalen-2-yl)oxazolidin-2-one (3aj)



Purified by prepared thin layer chromatography (petroleum ether/ethyl acetate = 1:1) to afford **3aj** as a white solid (9.5 mg, 16% yield).

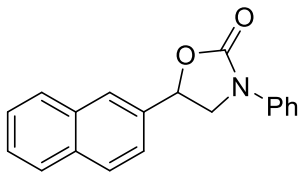
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.93 – 7.81 (m, 4H), 7.57 – 7.48 (m, 2H), 7.41 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.72 – 5.58 (m, 1H), 3.95 (t, *J* = 8.7 Hz, 1H), 3.86 – 3.68 (m, 1H), 3.46 (dd, *J* = 8.7, 7.2 Hz, 1H), 1.92 – 1.75 (m, 4H), 1.65 (s, 1H), 1.46 – 1.30 (m, 4H), 1.14 – 1.00 (m, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.2, 136.3, 133.2, 133.0, 129.0, 128.0, 127.7, 126.6, 126.5, 124.7, 122.7, 74.6, 52.6, 48.2, 30.5, 30.1, 25.3, 25.3, 25.2.

m.p. = 106-107 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{19}H_{21}NO_2Na^+$: 318.1465; found: 318.1471.

5-(naphthalen-2-yl)-3-phenyloxazolidin-2-one (3ak)



Purified by silica-gel column chromatography (petroleum ether/ethyl acetate = 3:1) to afford **3ak** as a viscous oil (47.4 mg, 82% yield).

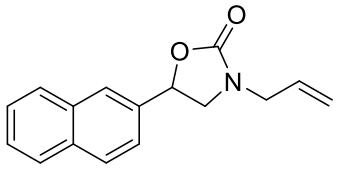
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 8.00 – 7.82 (m, 4H), 7.64 – 7.47 (m, 5H), 7.44 – 7.35 (m, 2H), 7.16 (t, *J* = 7.4 Hz, 1H), 5.88 – 5.76 (m, 1H), 4.46 (t, *J* = 8.9 Hz, 1H), 4.05 (dd, *J* = 9.0, 7.4 Hz, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 154.7, 138.1, 135.3, 133.4, 133.0, 129.3, 129.1, 128.1, 127.8, 126.8, 126.8, 125.2, 124.2, 122.7, 118.3, 74.2, 52.7.

m.p. = 139-142 ^{o}C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{19}H_{15}NO_2Na^+$: 312.0995; found: 312.1011.

3-allyl-5-(naphthalen-2-yl)oxazolidin-2-one (3al)



Purified by silica-gel column chromatography (petroleum ether/ethyl acetate = 3:1) to afford **3al** as a viscous oil (36.5 mg, 72% yield).

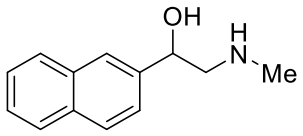
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.95 – 7.80 (m, 4H), 7.59 – 7.49 (m, 2H), 7.42 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.89 – 5.73 (m, 1H), 5.67 (dd, *J* = 8.8, 7.4 Hz, 1H), 5.29 – 5.19 (m, 2H), 4.02 – 3.87 (m, 3H), 3.48 (dd, *J* = 8.8, 7.4 Hz, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 157.7, 135.9, 133.3, 133.0, 131.8, 129.0, 128.1, 127.7, 126.7, 126.6, 124.8, 122.7, 118.9, 74.6, 51.7, 47.0.

m.p. = 72-74 °C.

HRMS (ESI^+): calculated $[M+Na]^+$ for $C_{16}H_{15}NO_2Na^+$: 276.0995; found: 276.0978.

2-(methylamino)-1-(naphthalen-2-yl)ethan-1-ol (4)



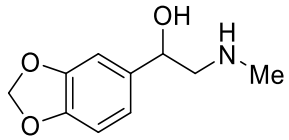
Purified by silica-gel column chromatography (CH_2Cl_2/MeOH = 40:1) to afford **4** as a viscous oil (29.8 mg, 74% yield).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 7.87 – 7.79 (m, 4H), 7.52 – 7.41 (m, 3H), 5.22 (t, *J* = 7.2 Hz, 1H), 4.63 (d, *J* = 4.9 Hz, 1H), 4.58 (d, *J* = 4.8 Hz, 1H), 3.41 (dd, *J* = 11.2, 6.7 Hz, 1H), 2.87 (dd, *J* = 11.2, 7.9 Hz, 1H), 2.55 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 139.5, 133.3, 132.9, 128.4, 127.8, 127.7, 126.2, 125.7, 124.2, 123.7, 89.6, 62.7, 41.6.

HRMS (ESI^+): calculated $[M+H]^+$ for $C_{13}H_{15}NOH^+$: 202.1226; found: 202.1224.

1-(benzo[d][1,3]dioxol-5-yl)-2-(methylamino)ethan-1-ol (5)



Purified by silica-gel column chromatography (CH_2Cl_2/MeOH = 20:1) to afford **5** as a viscous oil (16.8 mg, 43% yield).

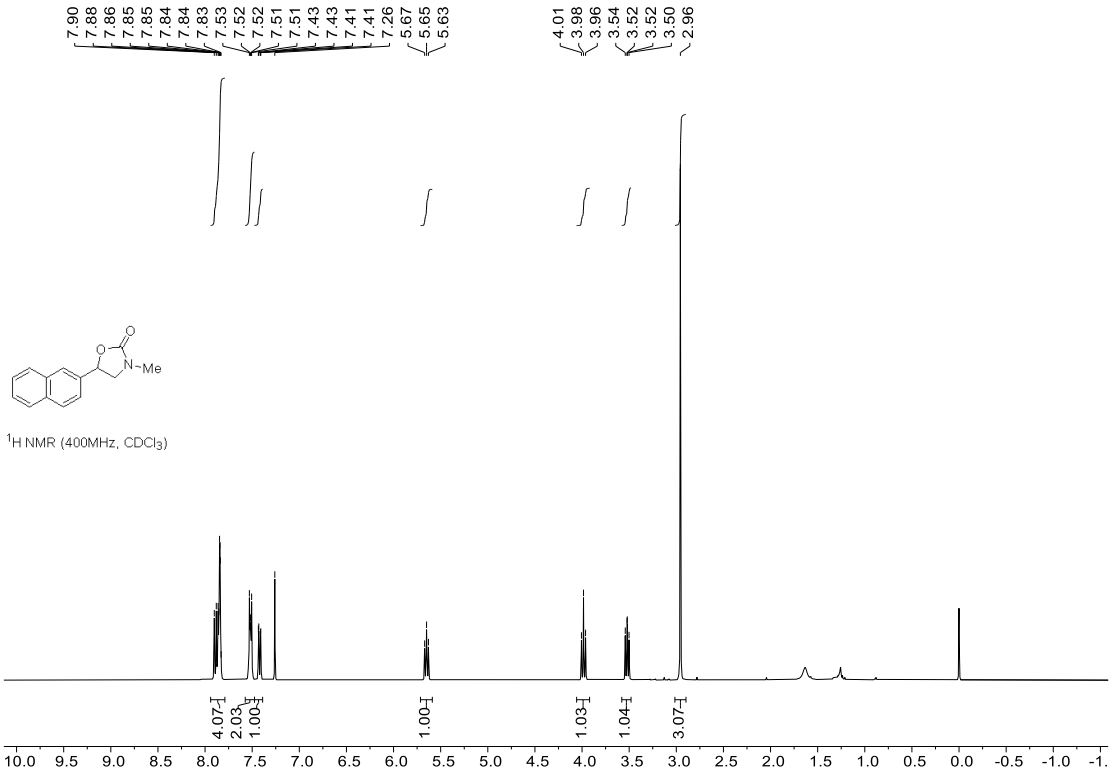
^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) = 6.90 (d, *J* = 1.6 Hz, 1H), 6.81 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.77 (d, *J* = 7.9 Hz, 1H), 5.94 (s, 2H), 4.62 (dd, *J* = 10.6, 3.4 Hz, 1H), 2.51 – 2.41 (m, 1H), 2.37 – 2.34 (m, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) = 147.7, 146.9, 136.2, 119.2, 108.1, 106.5, 100.9, 69.3, 67.5, 45.2.

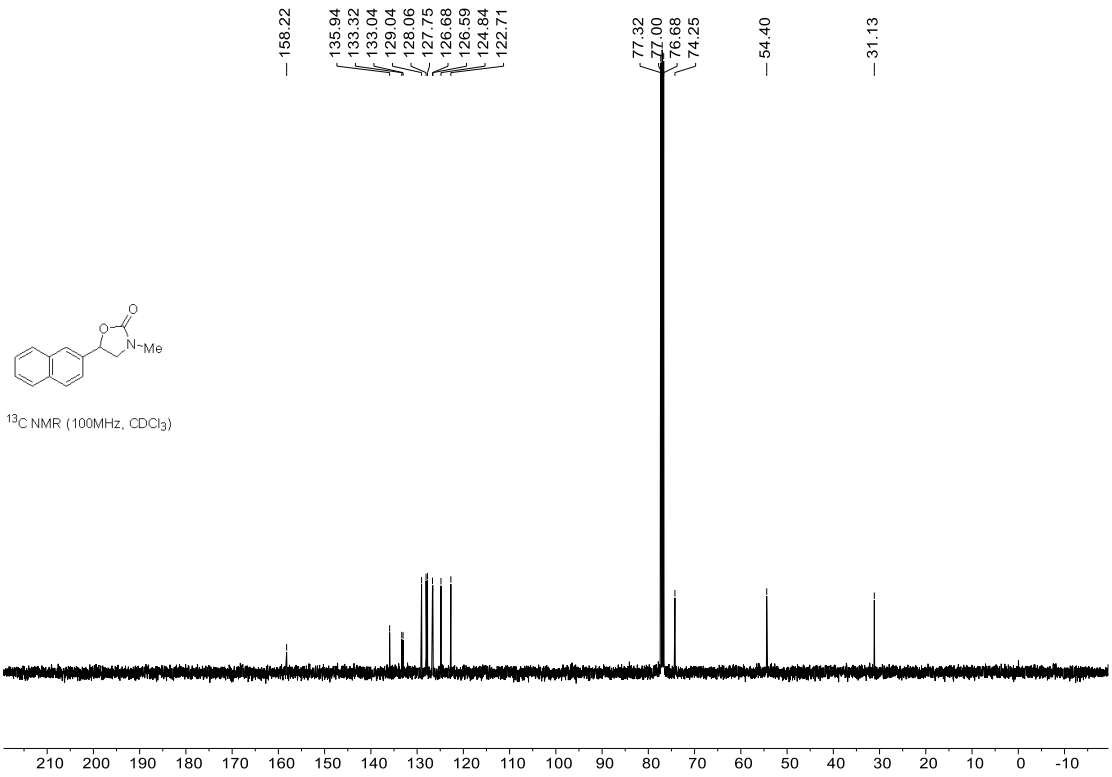
HRMS (ESI^+): calculated $[M+H]^+$ for $C_{10}H_{13}NO_3H^+$: 196.0968; found: 196.0978.

10. Copies of NMR Spectra of All Products

3-methyl-5-(naphthalen-2-yl)oxazolidin-2-one (3aa)

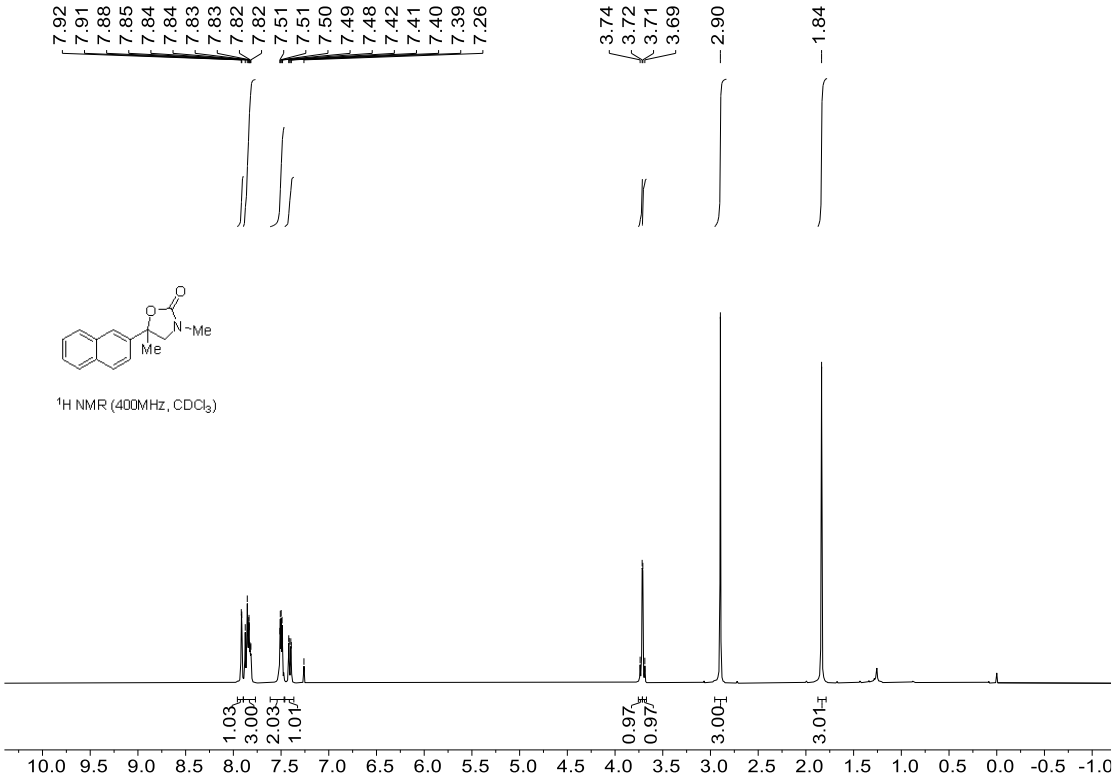


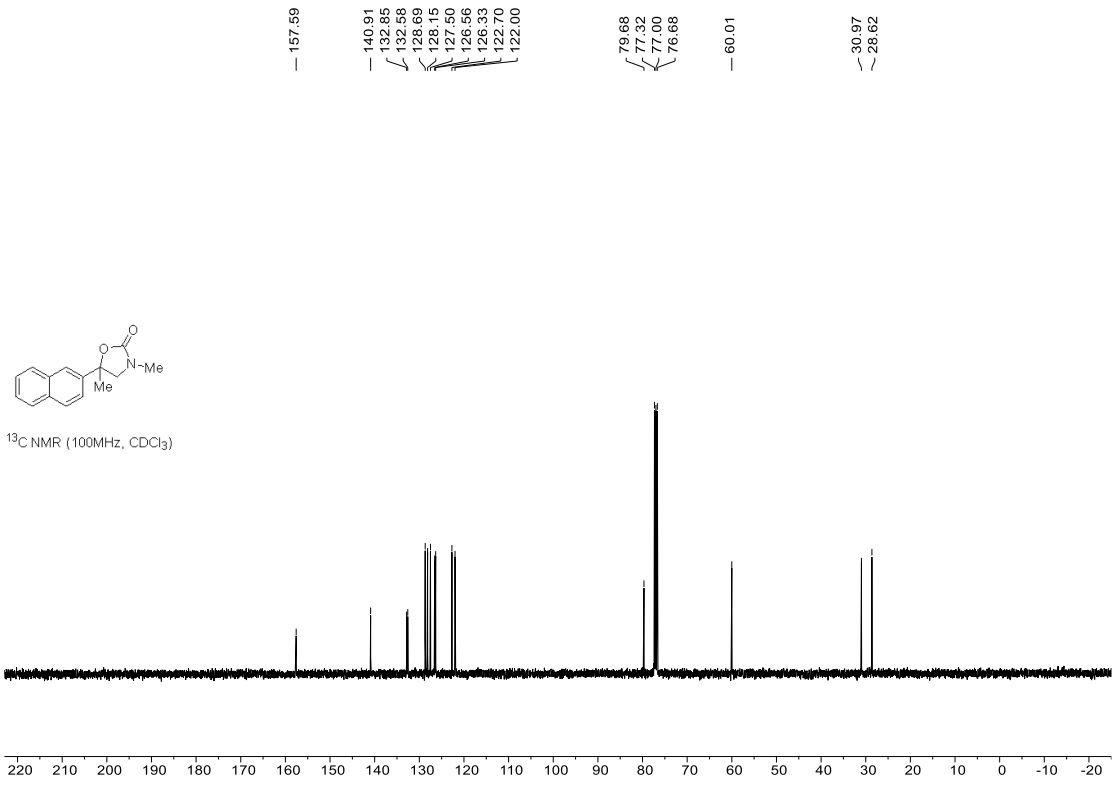
^{1}H NMR (400MHz, $CDCl_3$)



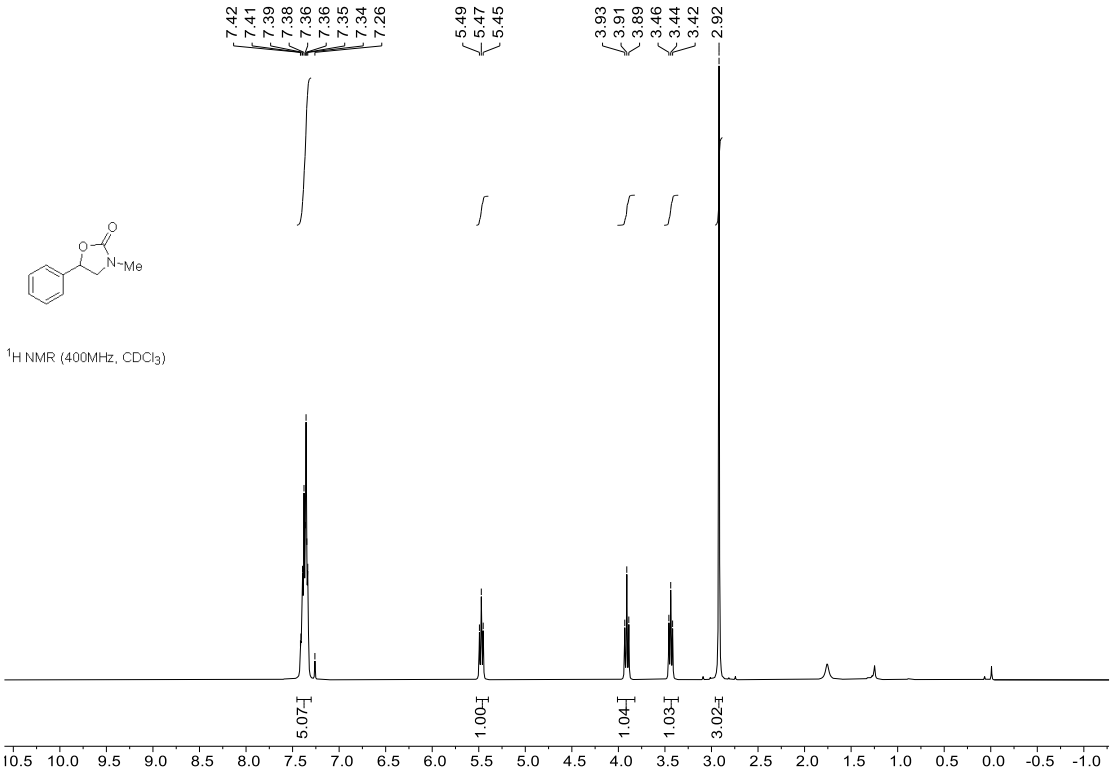
^{13}C NMR (100MHz, $CDCl_3$)

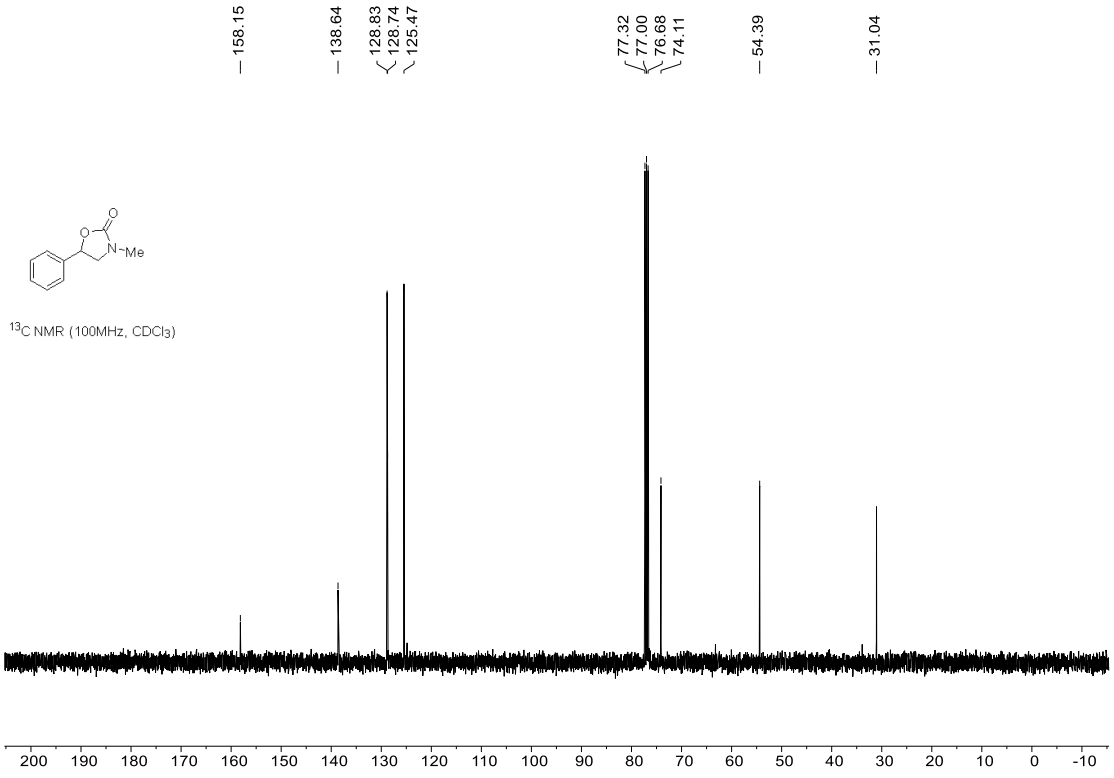
3,5-dimethyl-5-(naphthalen-2-yl)oxazolidin-2-one (3ba)



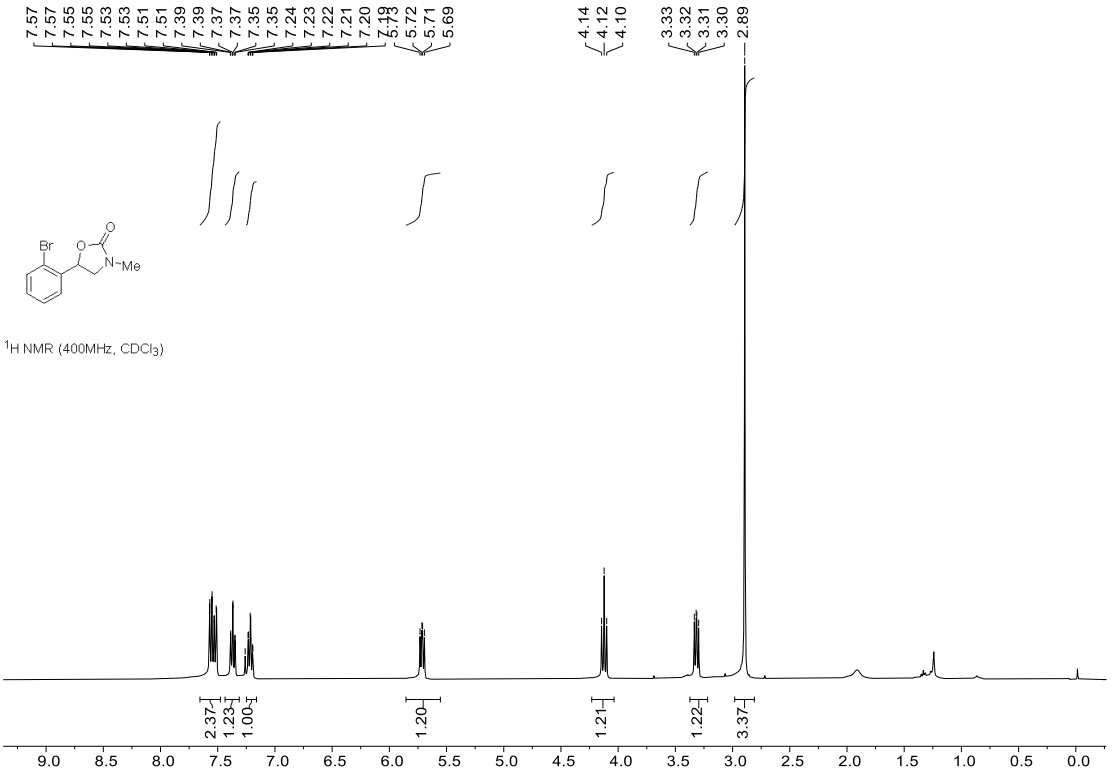


3-methyl-5-phenyloxazolidin-2-one (3ca)

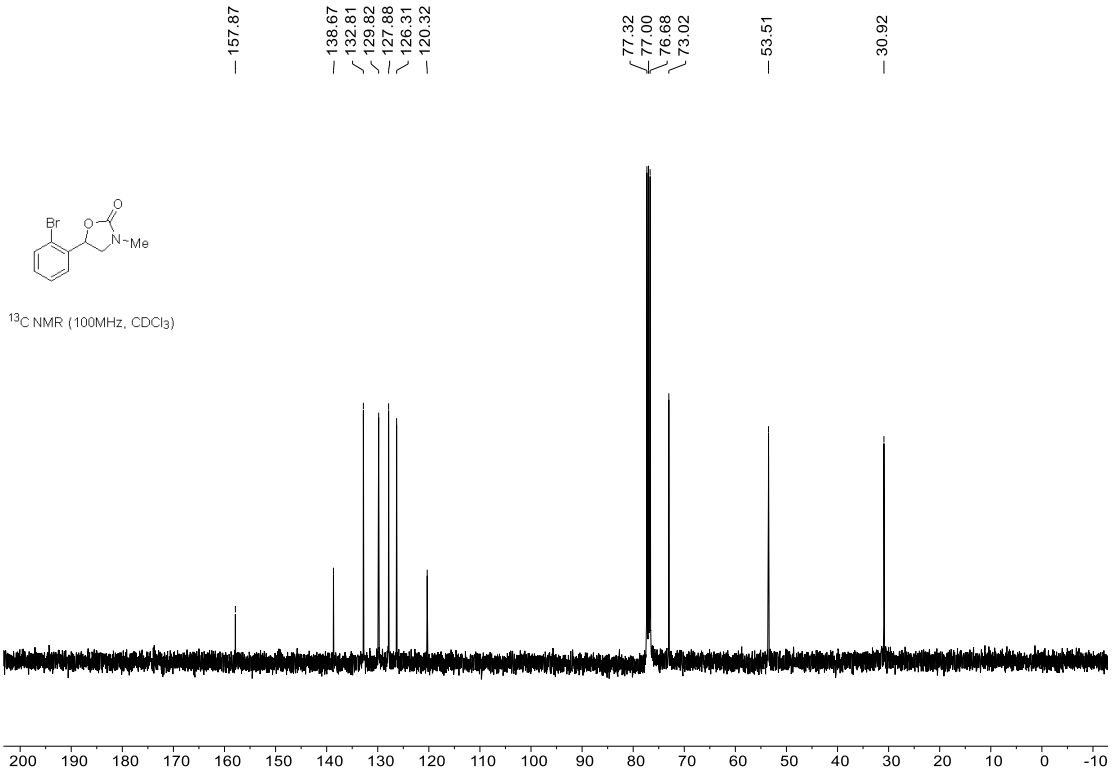




5-(2-bromophenyl)-3-methyloxazolidin-2-one (3da)

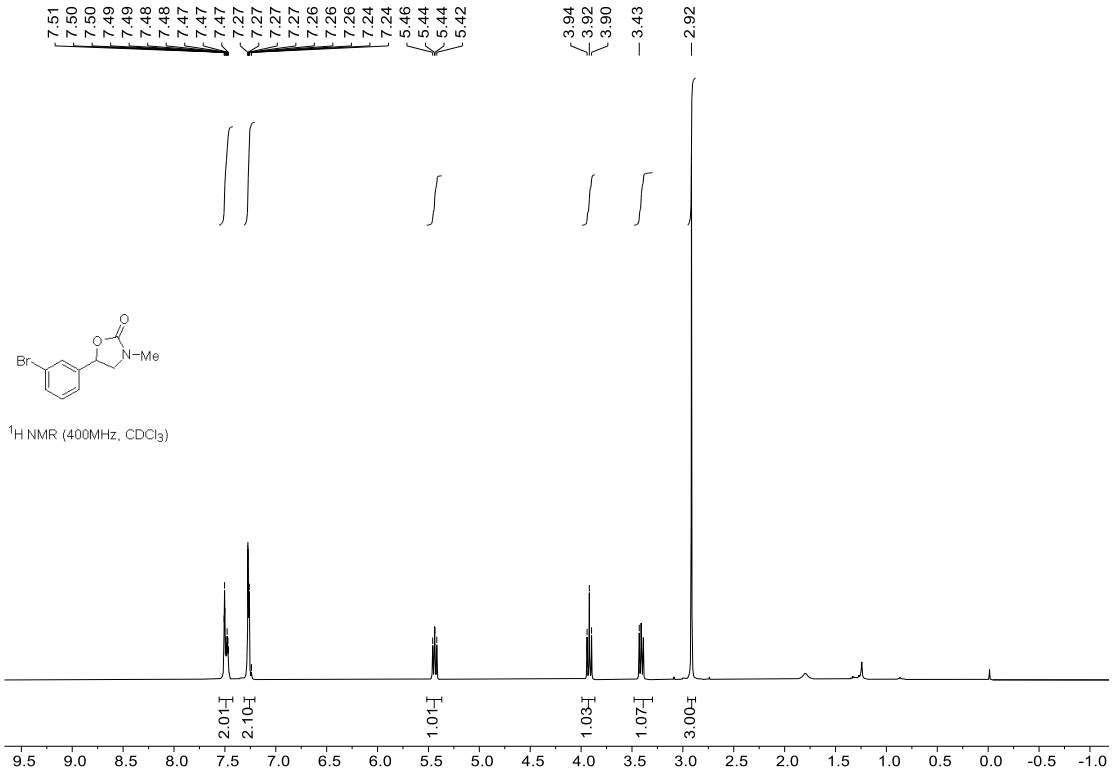


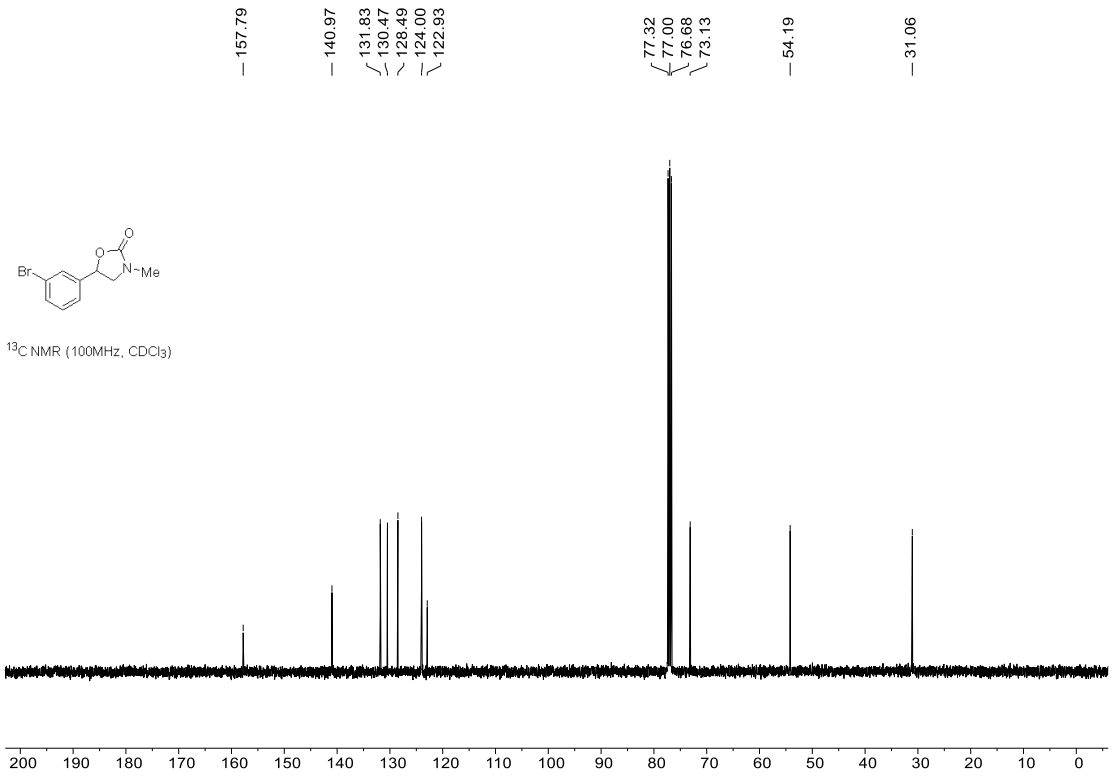
^{1}H NMR (400MHz, $CDCl_3$)



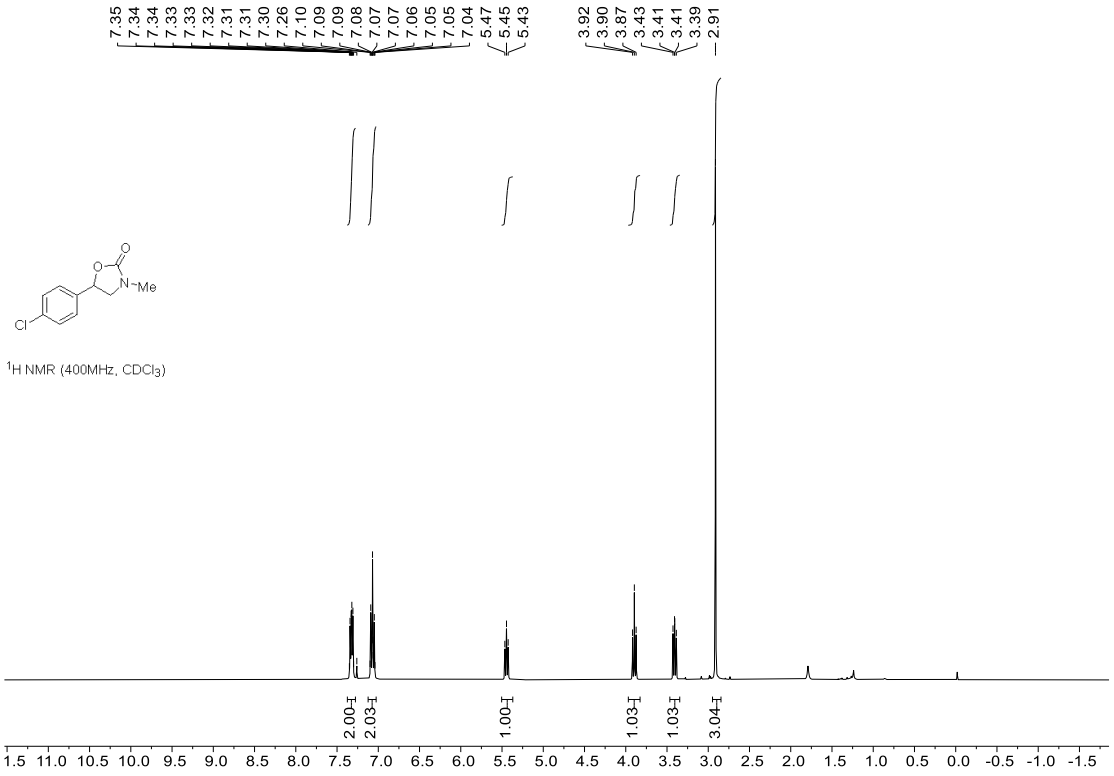
^{13}C NMR (100MHz, $CDCl_3$)

5-(3-bromophenyl)-3-methyloxazolidin-2-one (3ea)

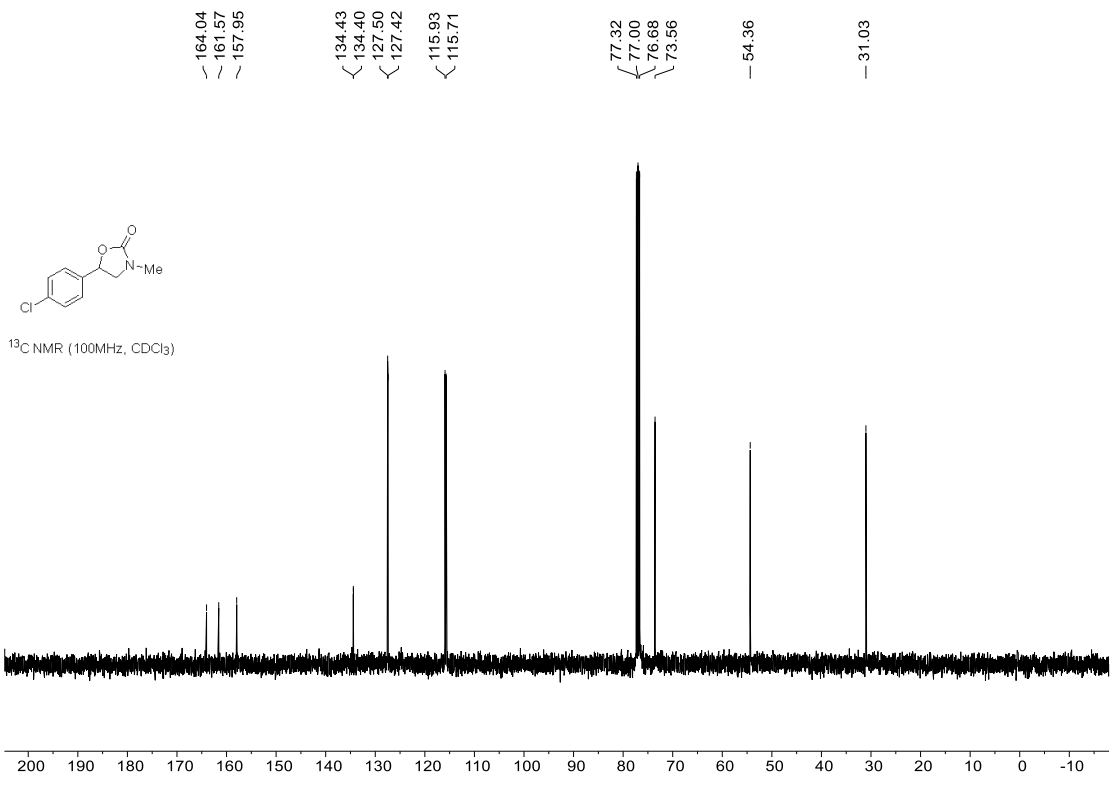




5-(4-chlorophenyl)-3-methyloxazolidin-2-one (3fa)

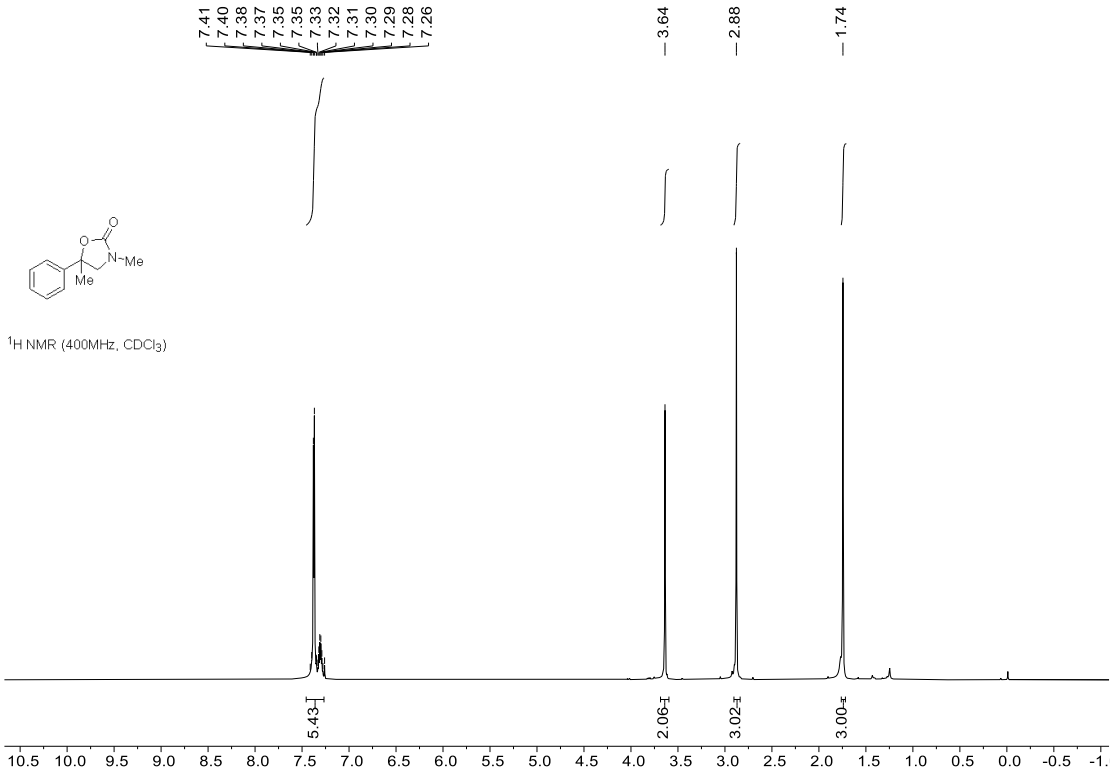


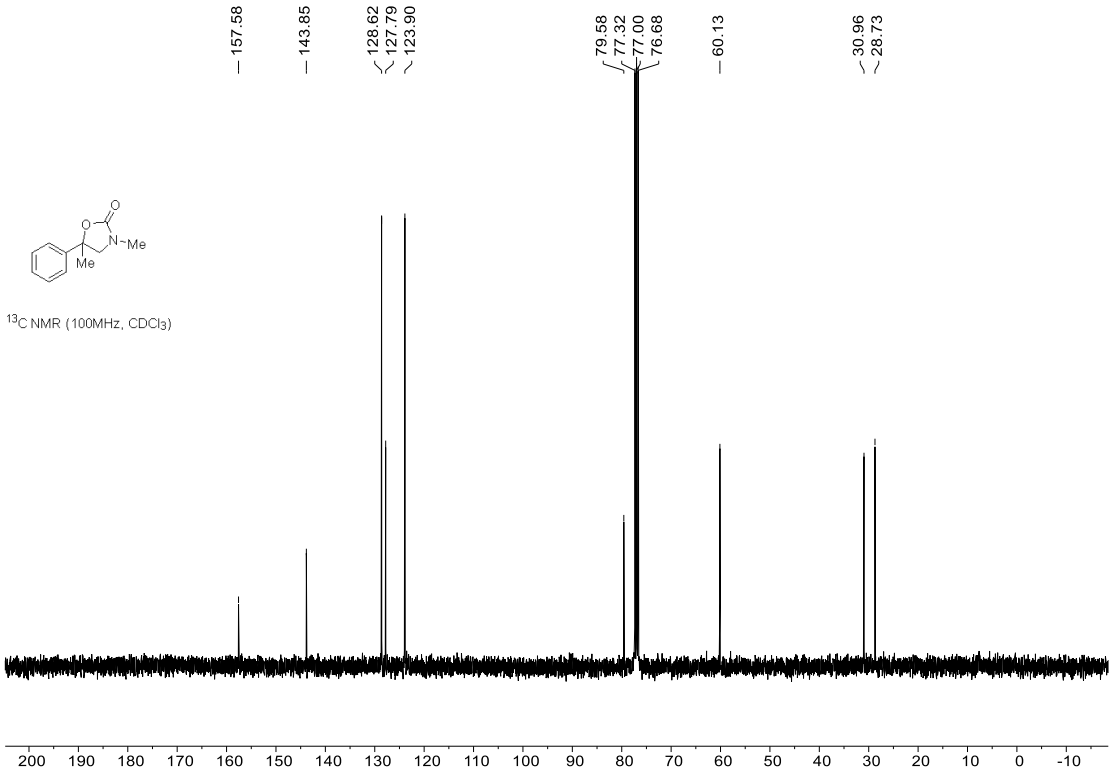
^{1}H NMR (400MHz, $CDCl_3$)



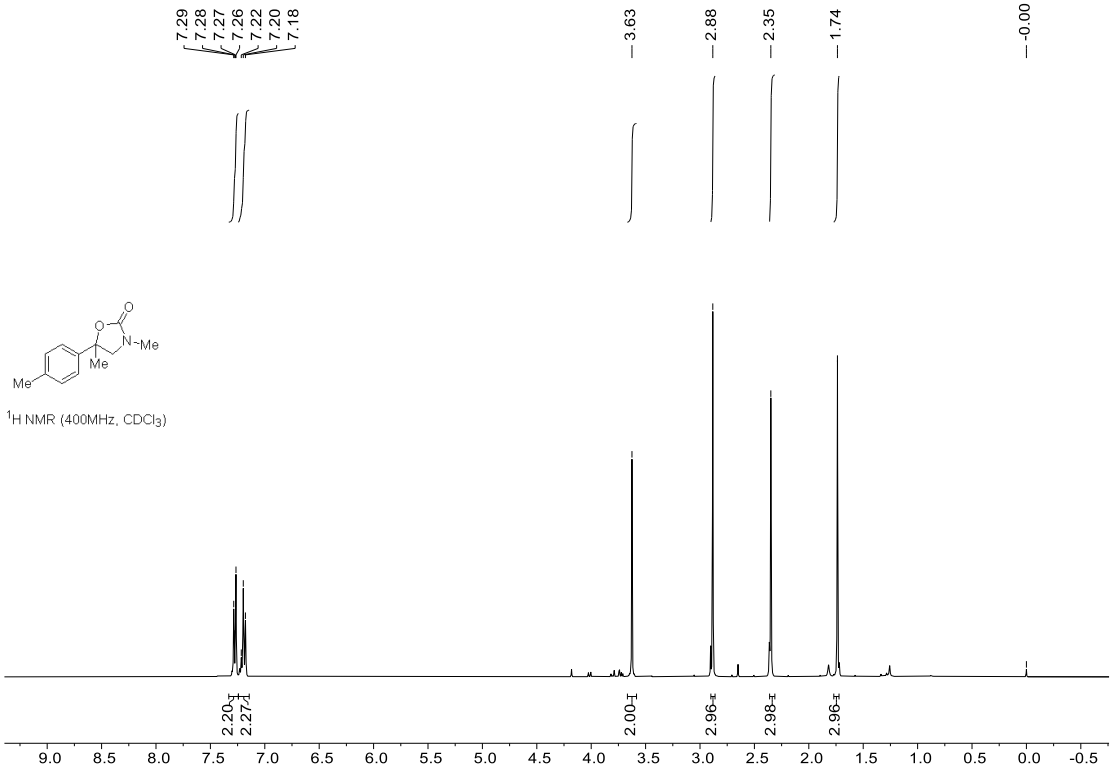
^{13}C NMR (100MHz, $CDCl_3$)

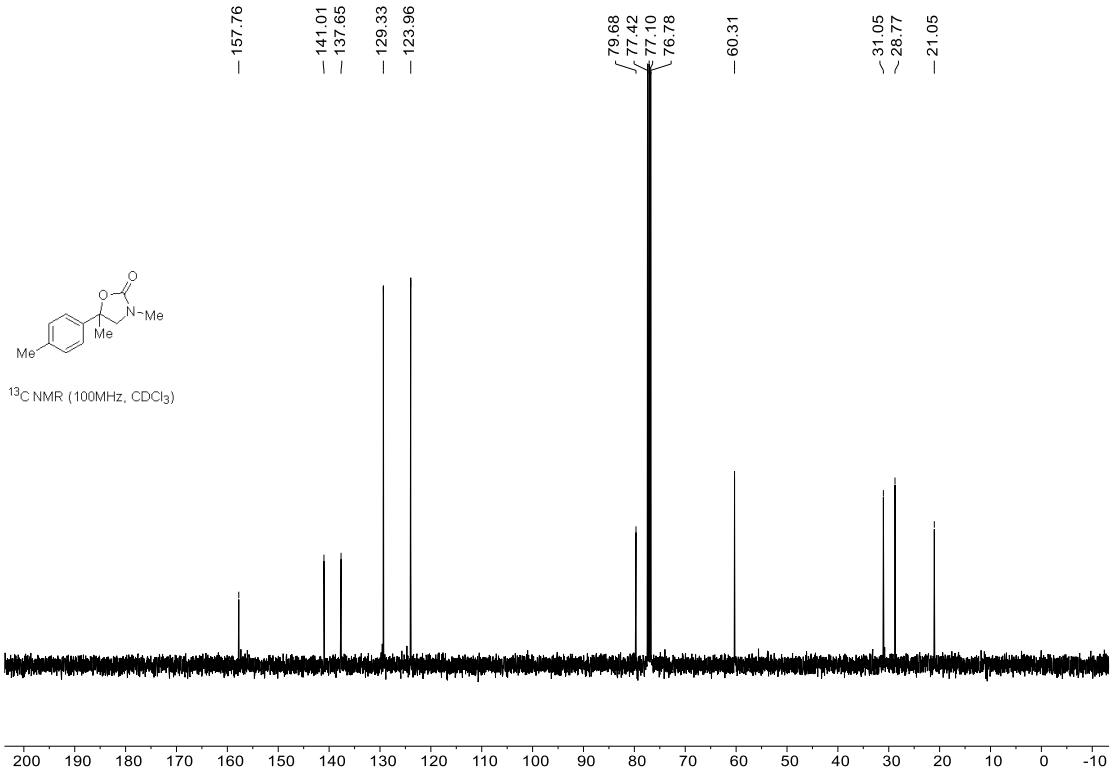
3,5-dimethyl-5-phenyloxazolidin-2-one (3ga)



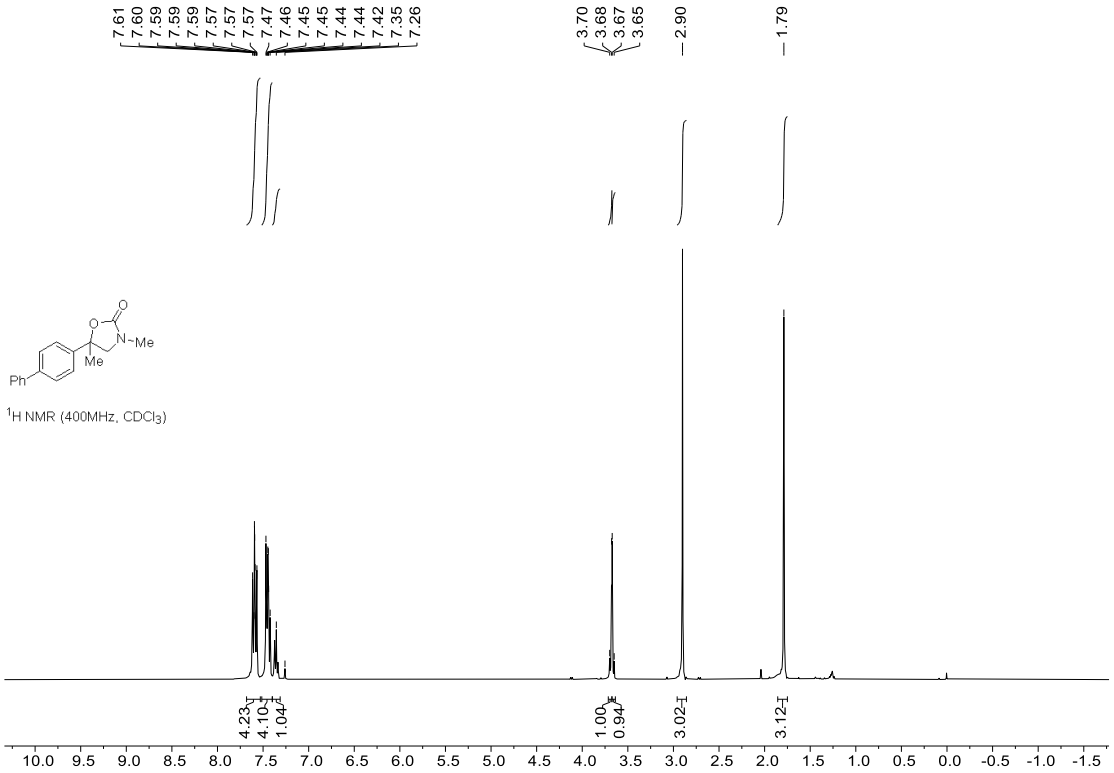


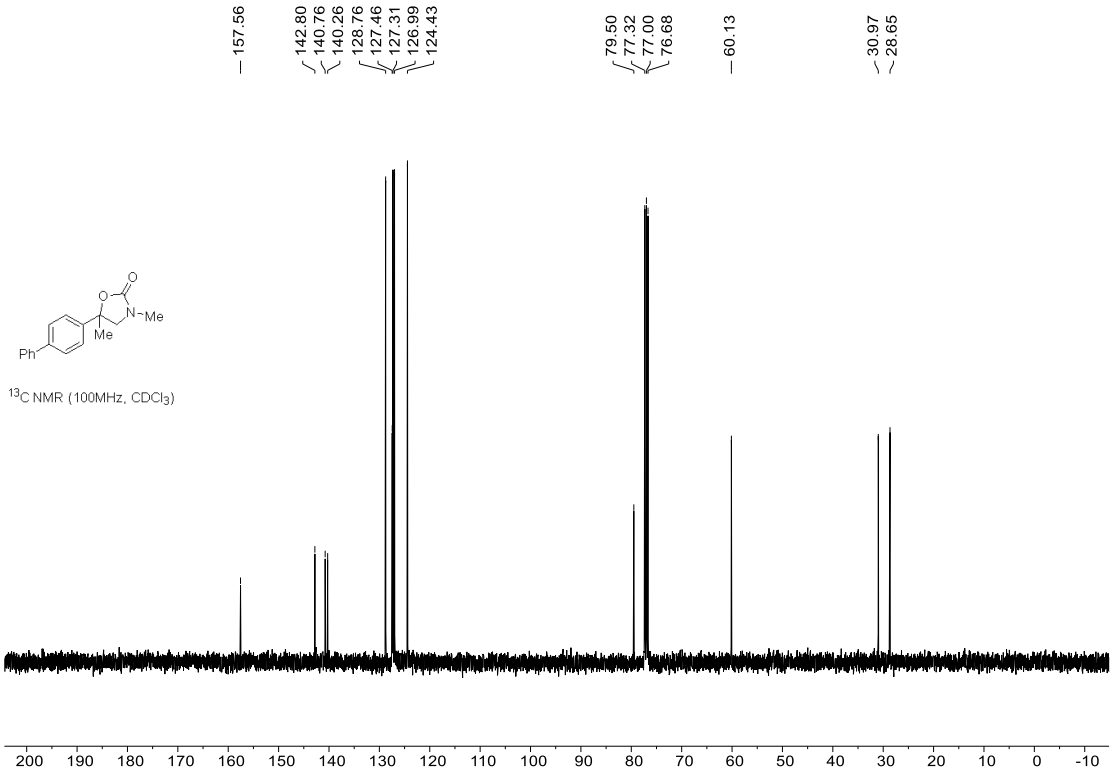
3,5-dimethyl-5-(*p*-tolyl)oxazolidin-2-one (3ha)



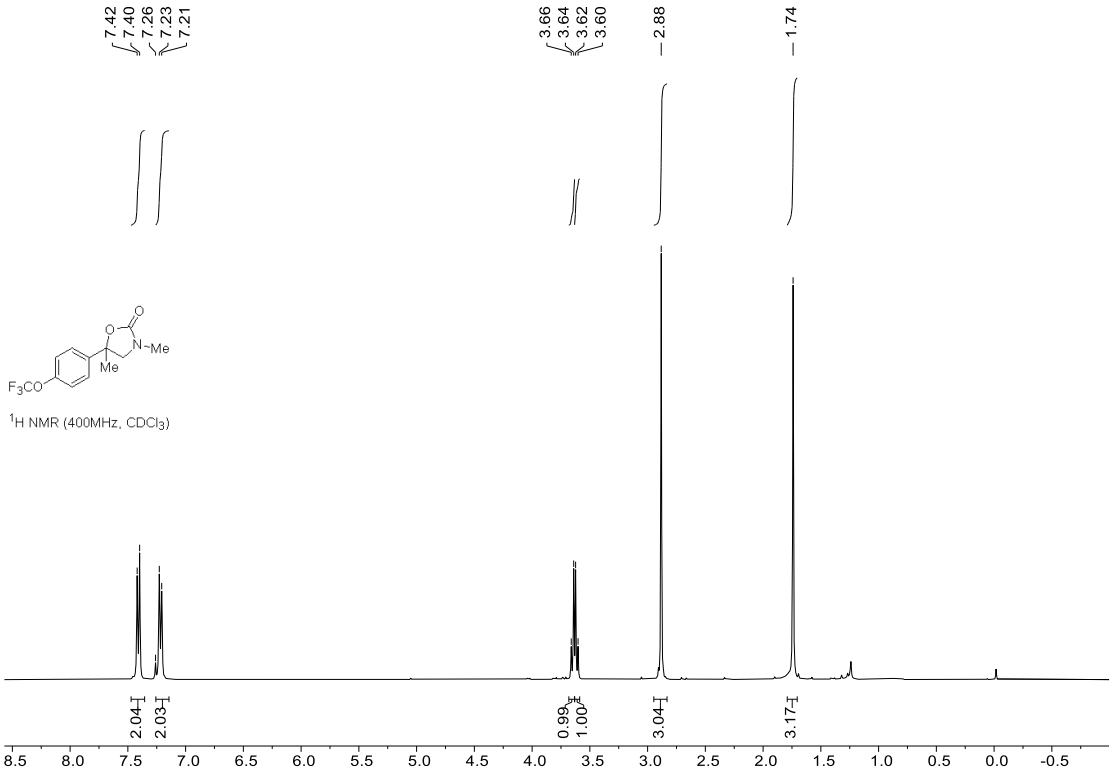


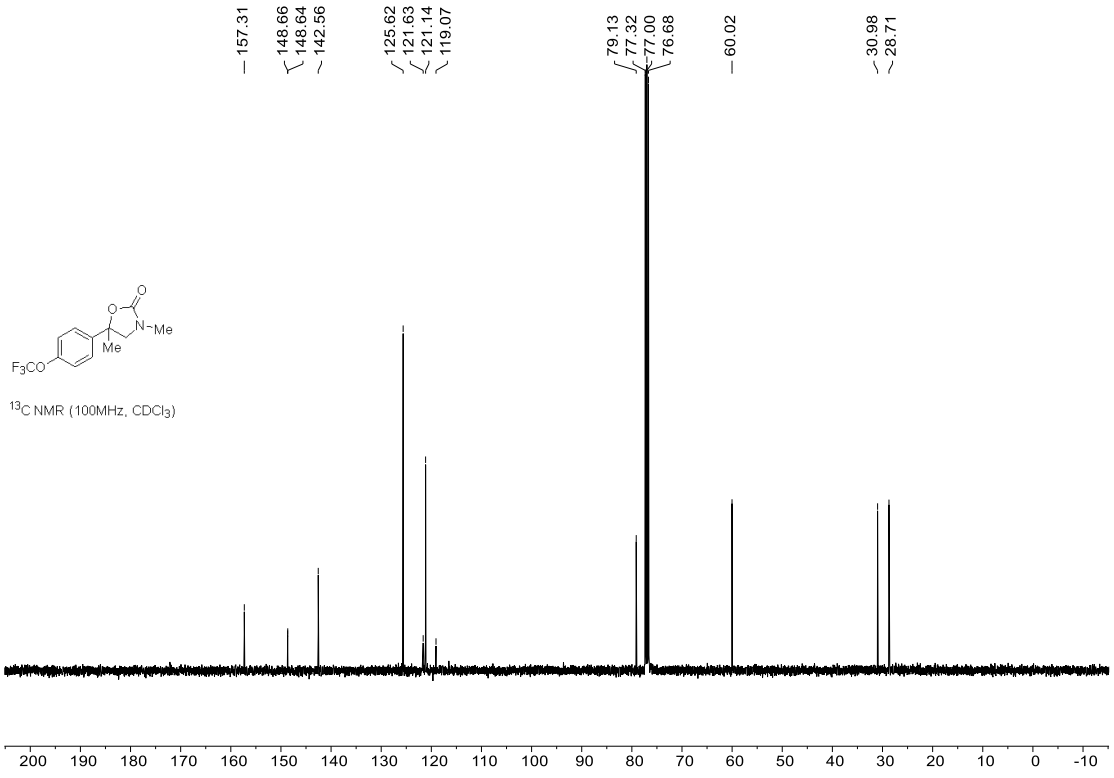
5-([1,1'-biphenyl]-4-yl)-3,5-dimethyloxazolidin-2-one (3ia)

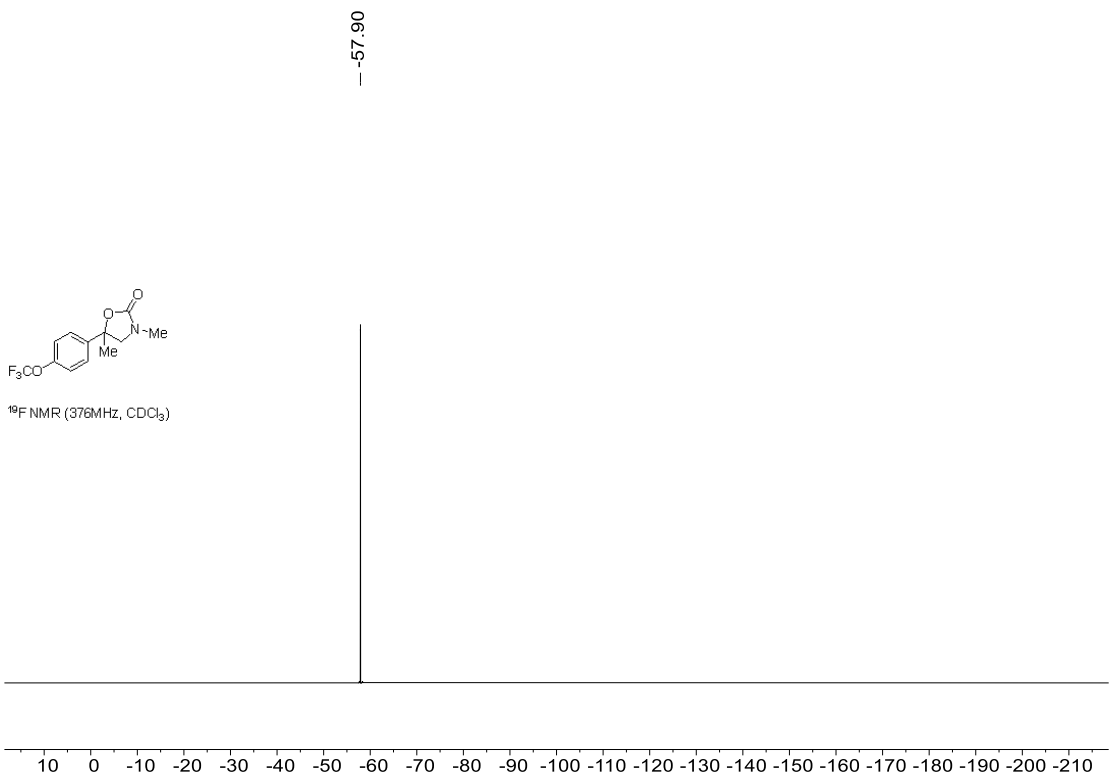




3,5-dimethyl-5-(4-(trifluoromethoxy)phenyl)oxazolidin-2-one (3ja)

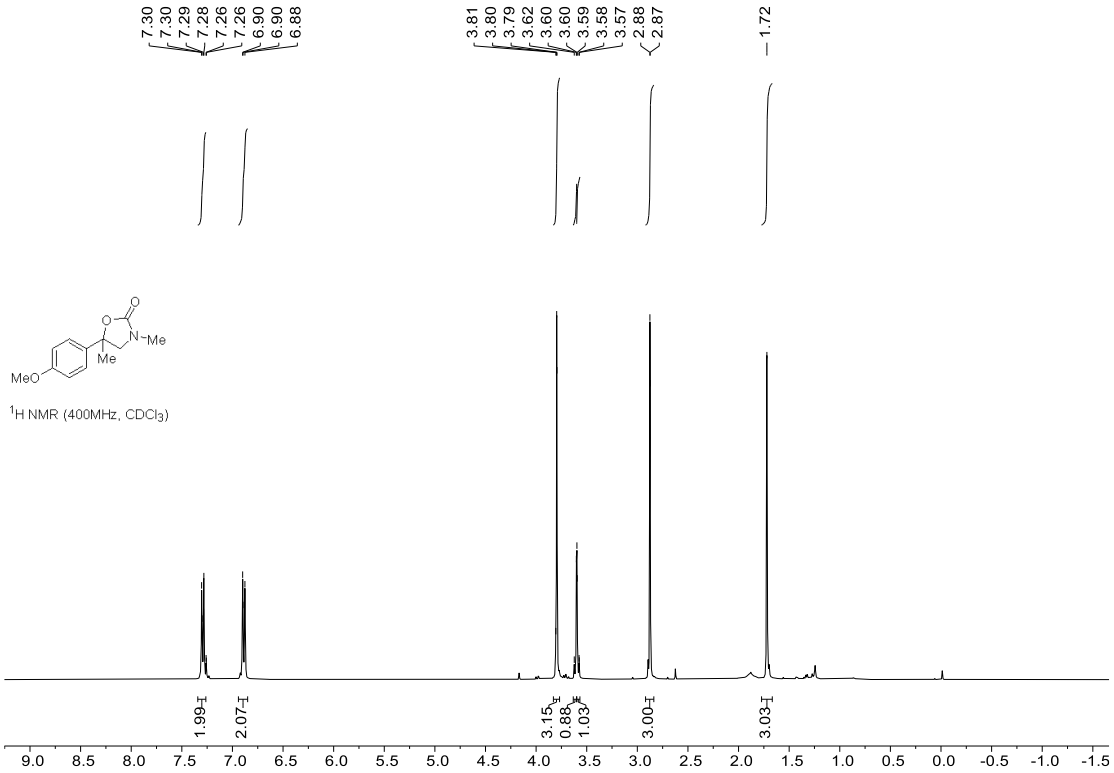


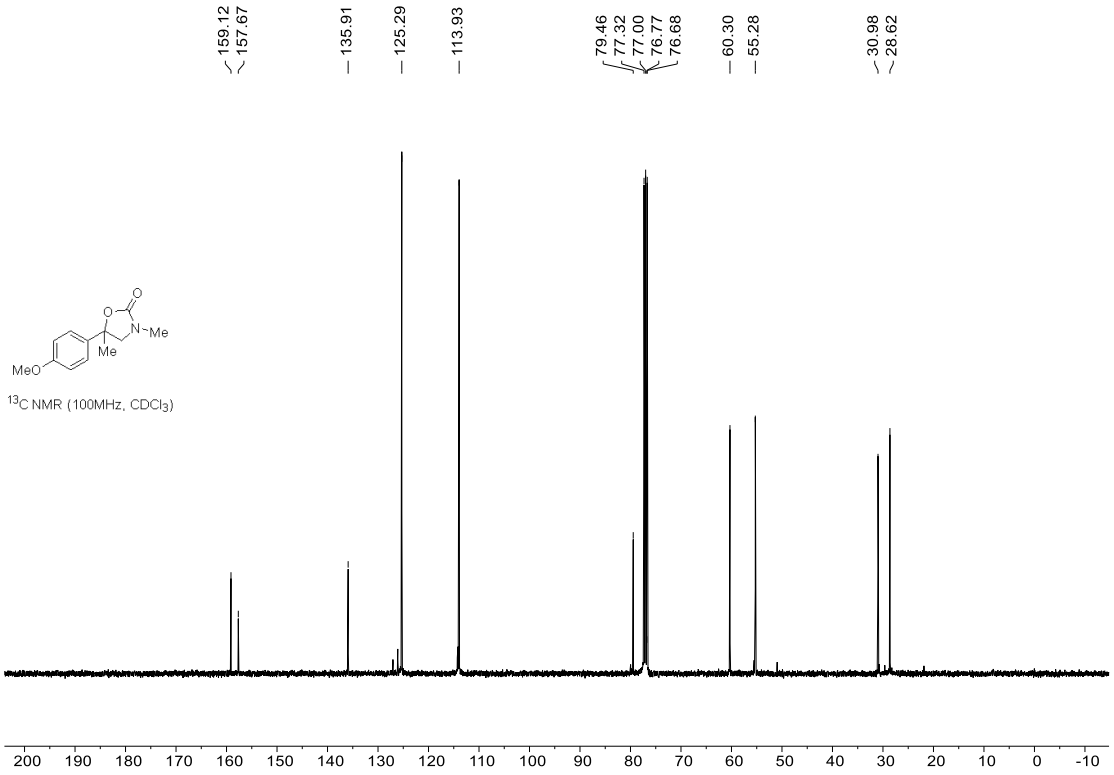




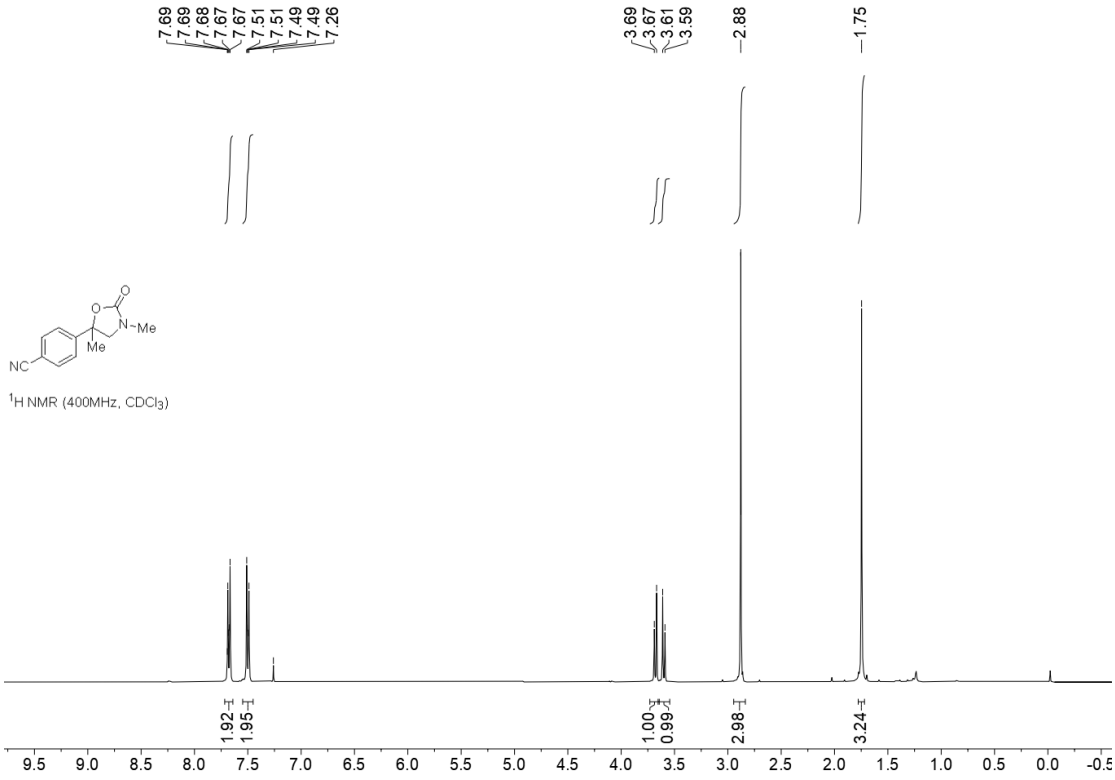
^{19}F NMR (376MHz, $CDCl_3$)

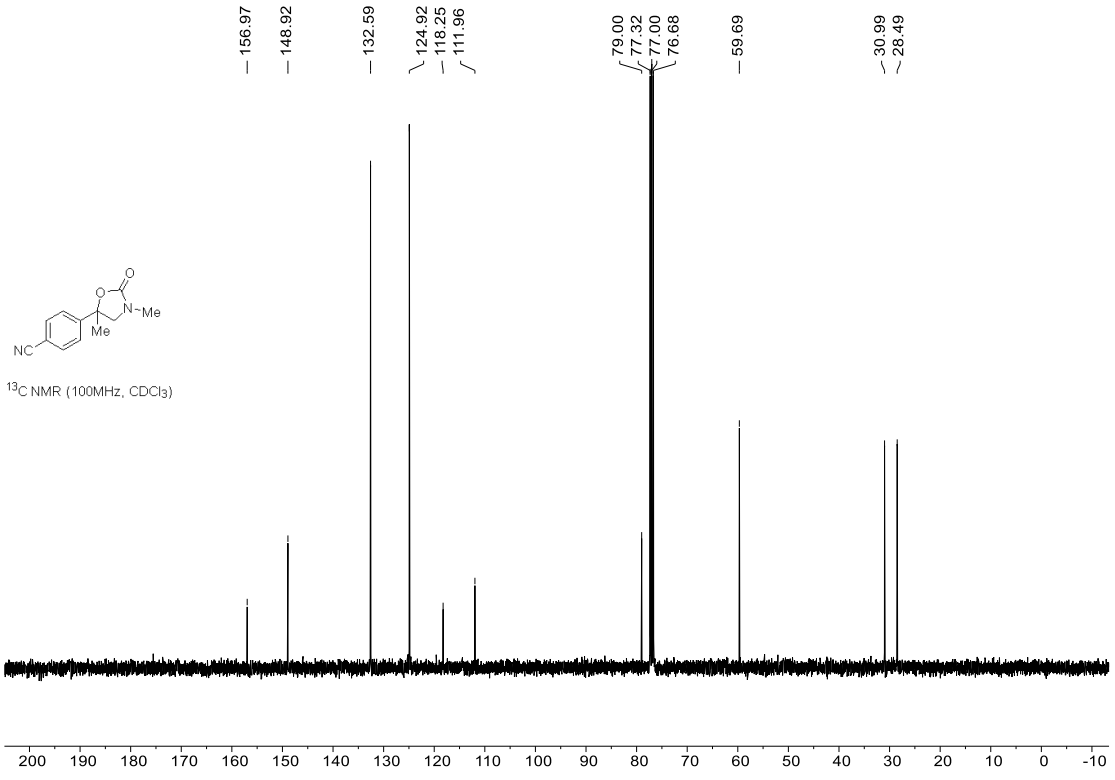
5-(4-methoxyphenyl)-3,5-dimethyloxazolidin-2-one (3ka)



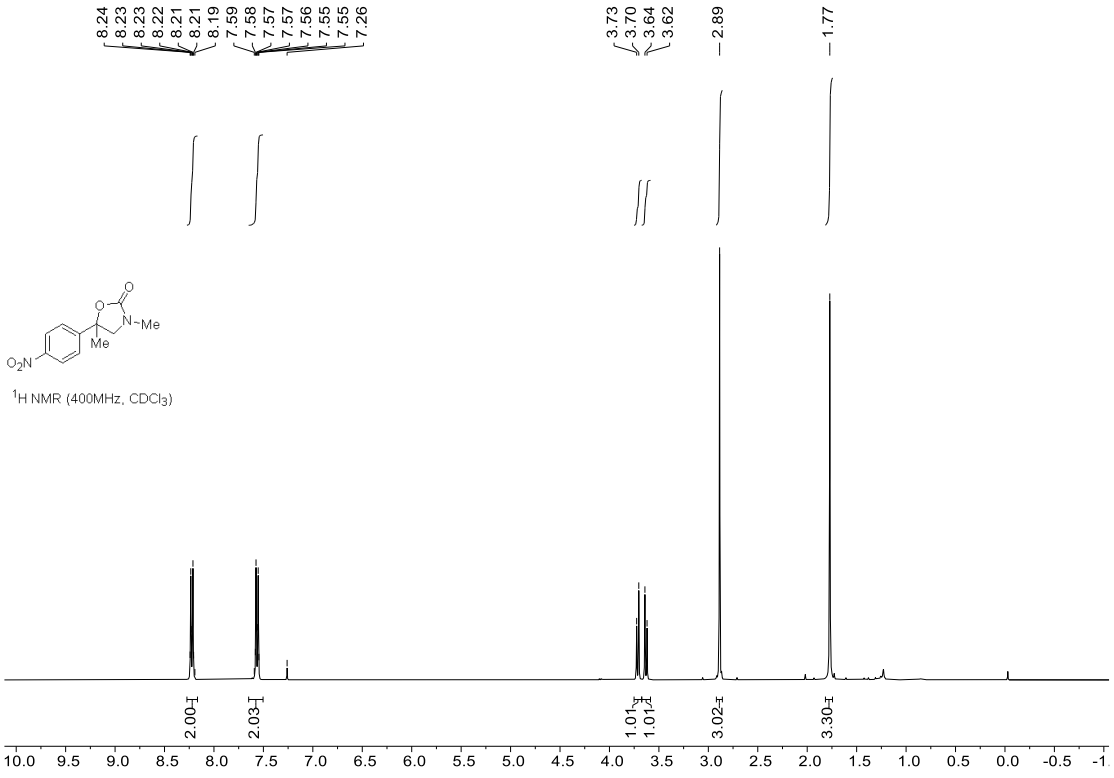


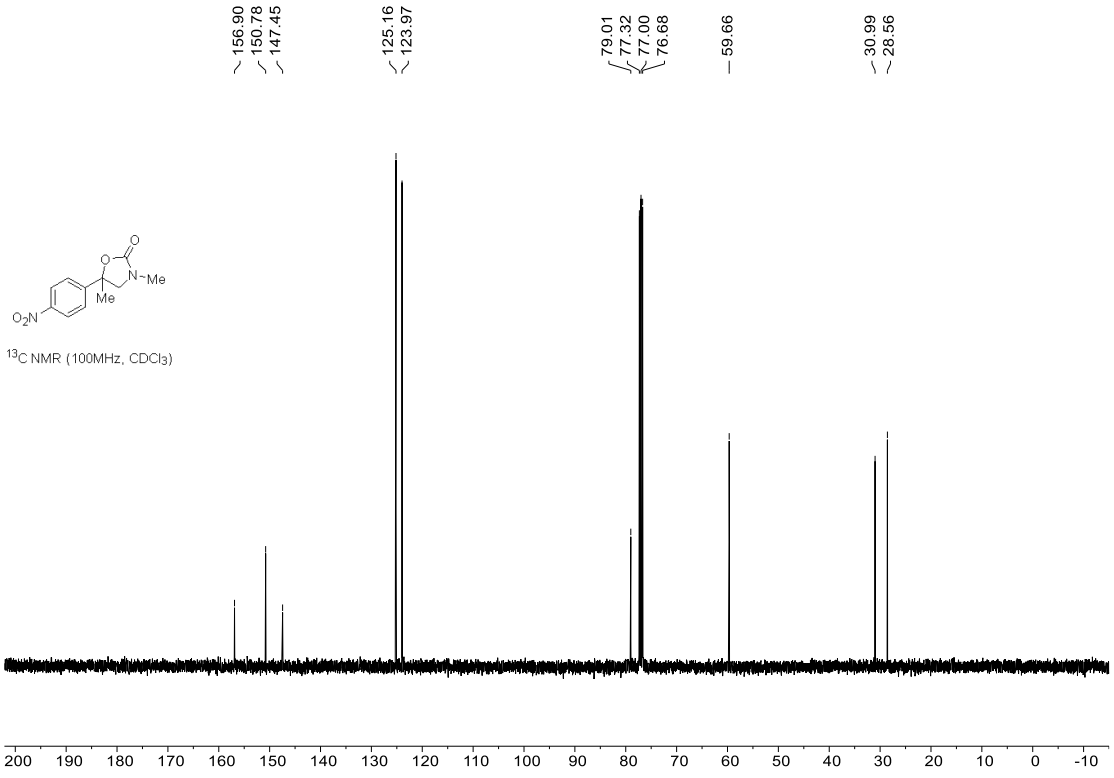
4-(3,5-dimethyl-2-oxooxazolidin-5-yl)benzonitrile (3la)



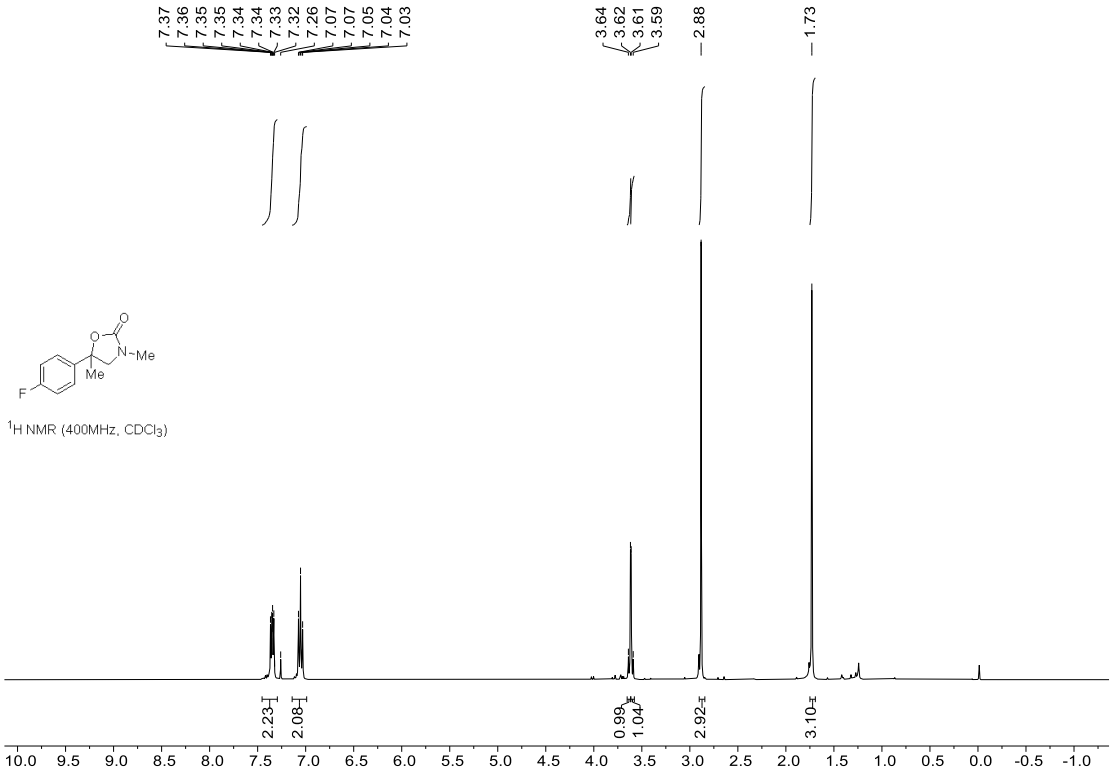


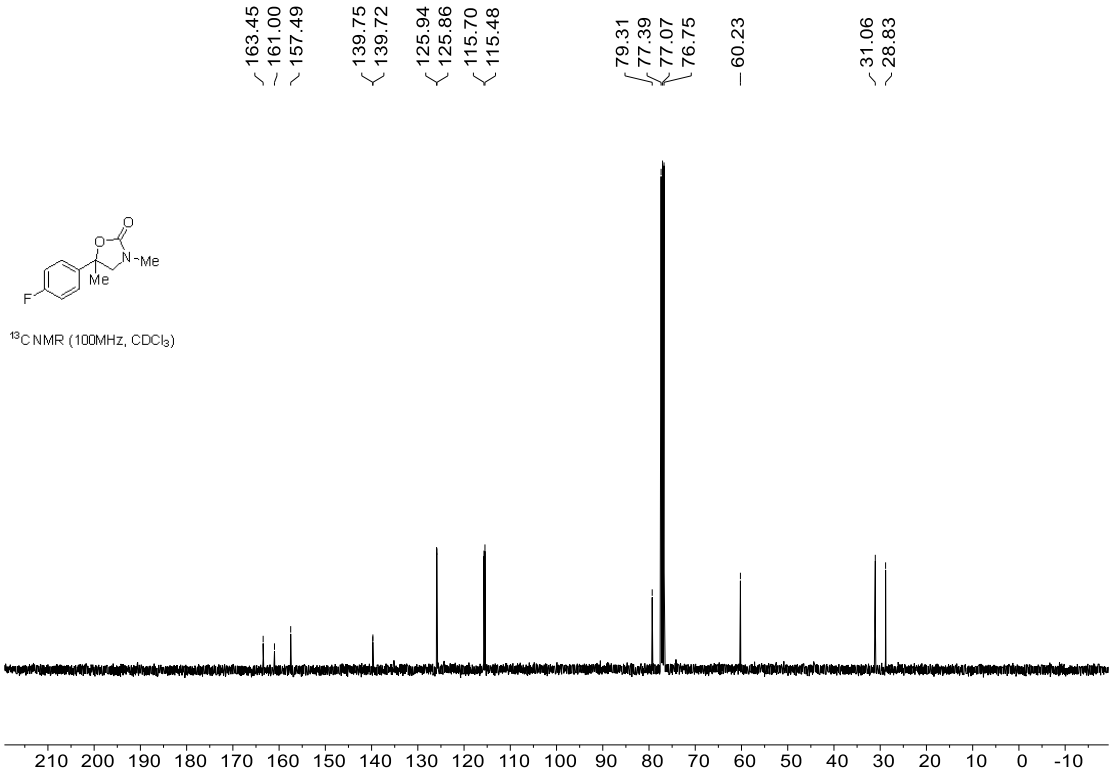
3,5-dimethyl-5-(4-nitrophenyl)oxazolidin-2-one (3ma)

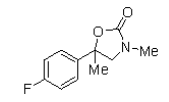




5-(4-fluorophenyl)-3,5-dimethyloxazolidin-2-one (3na)

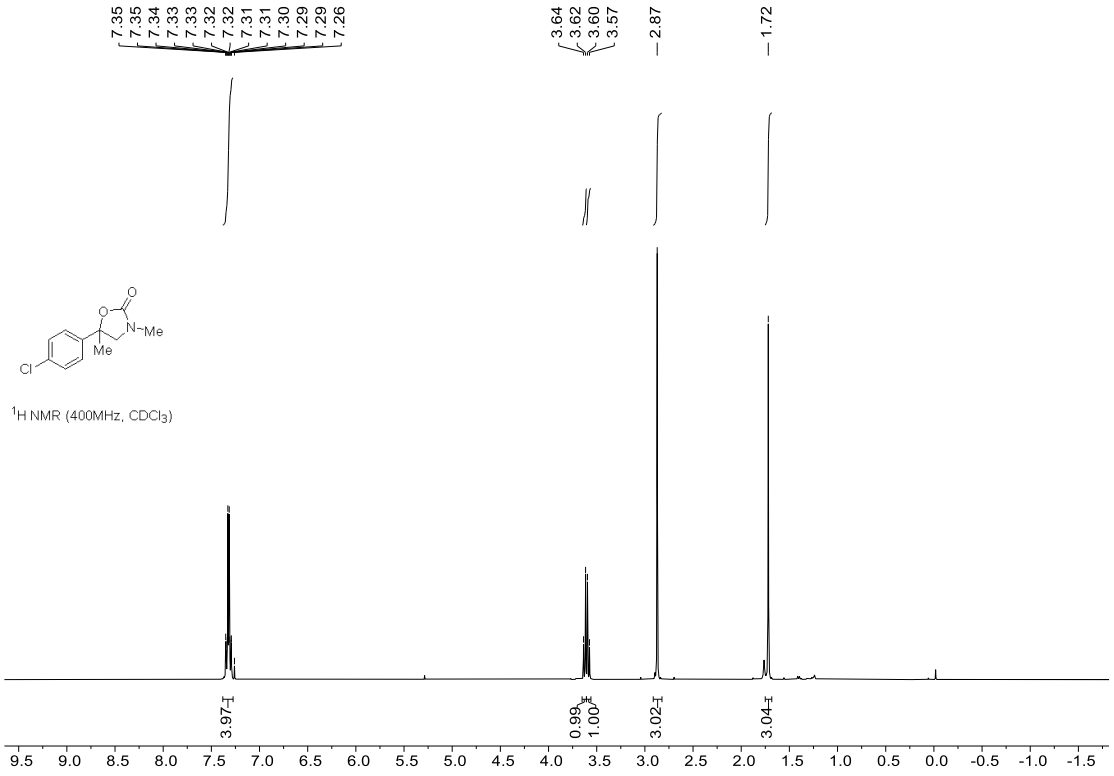


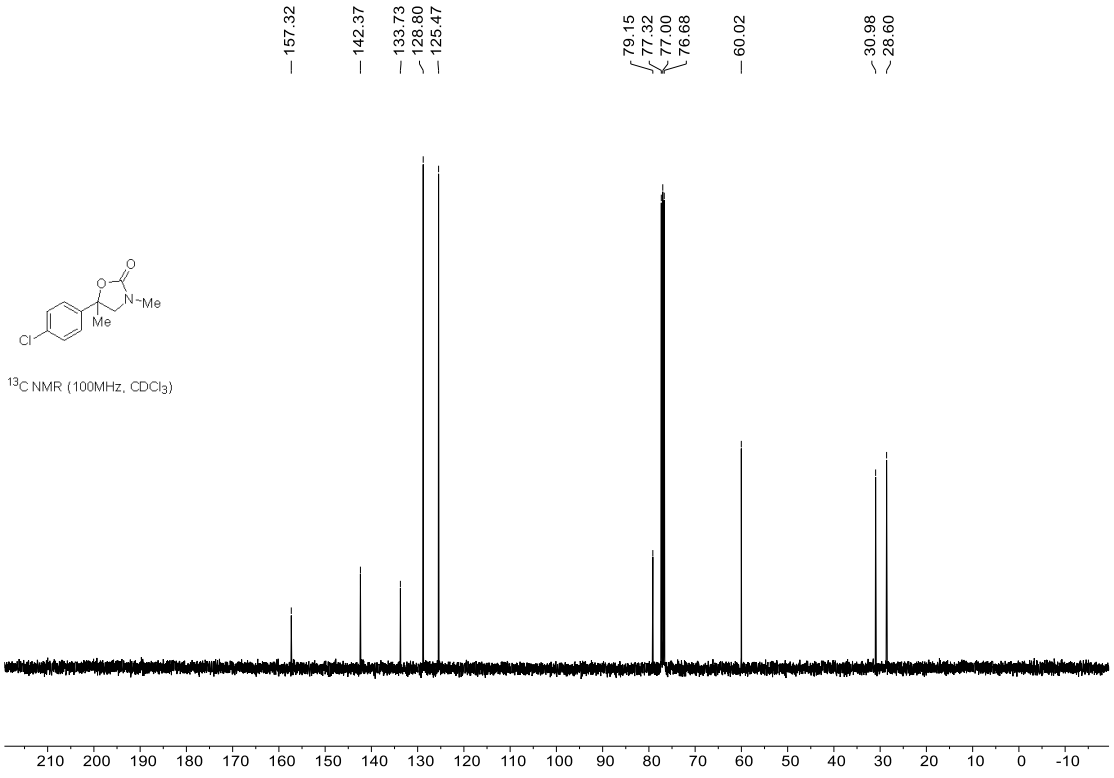




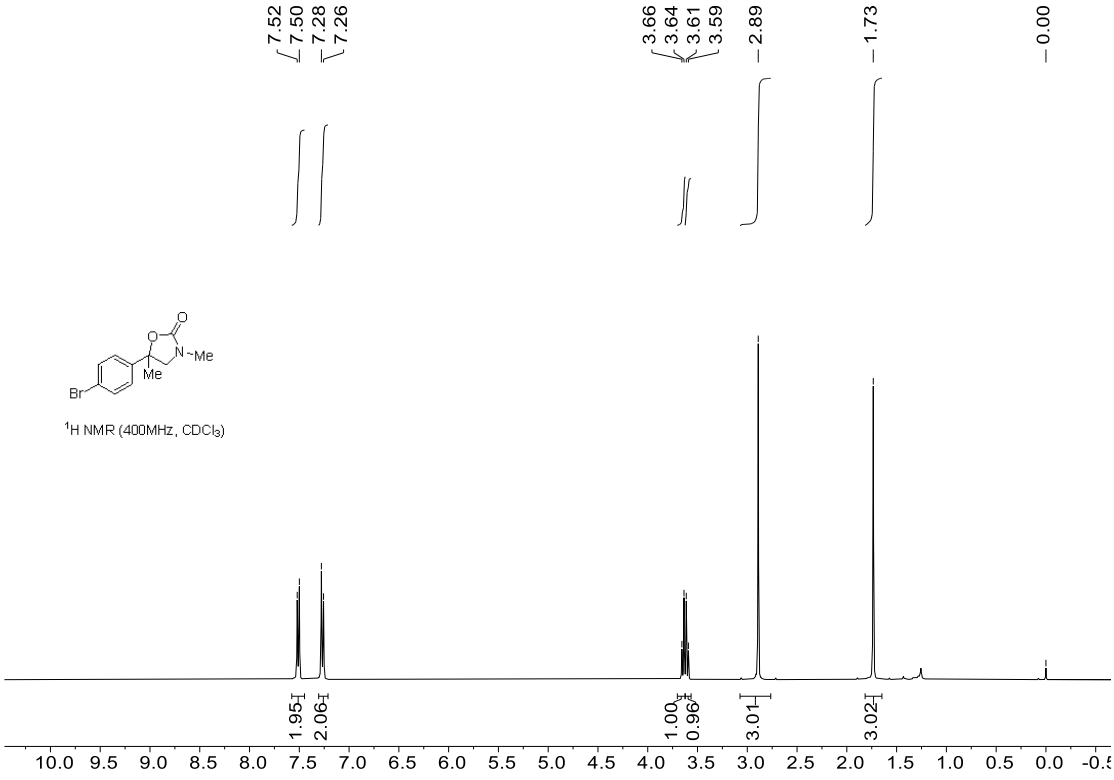
^{19}F NMR (376MHz, $CDCl_3$)

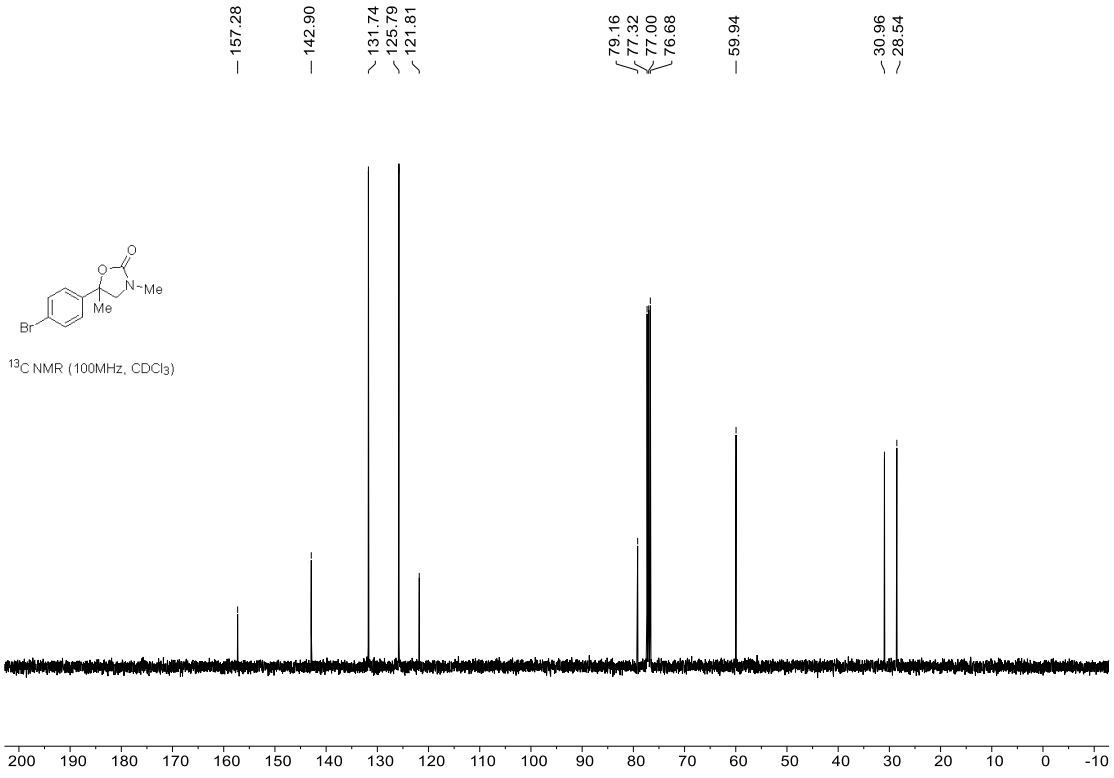
5-(4-chlorophenyl)-3,5-dimethyloxazolidin-2-one (3oa)



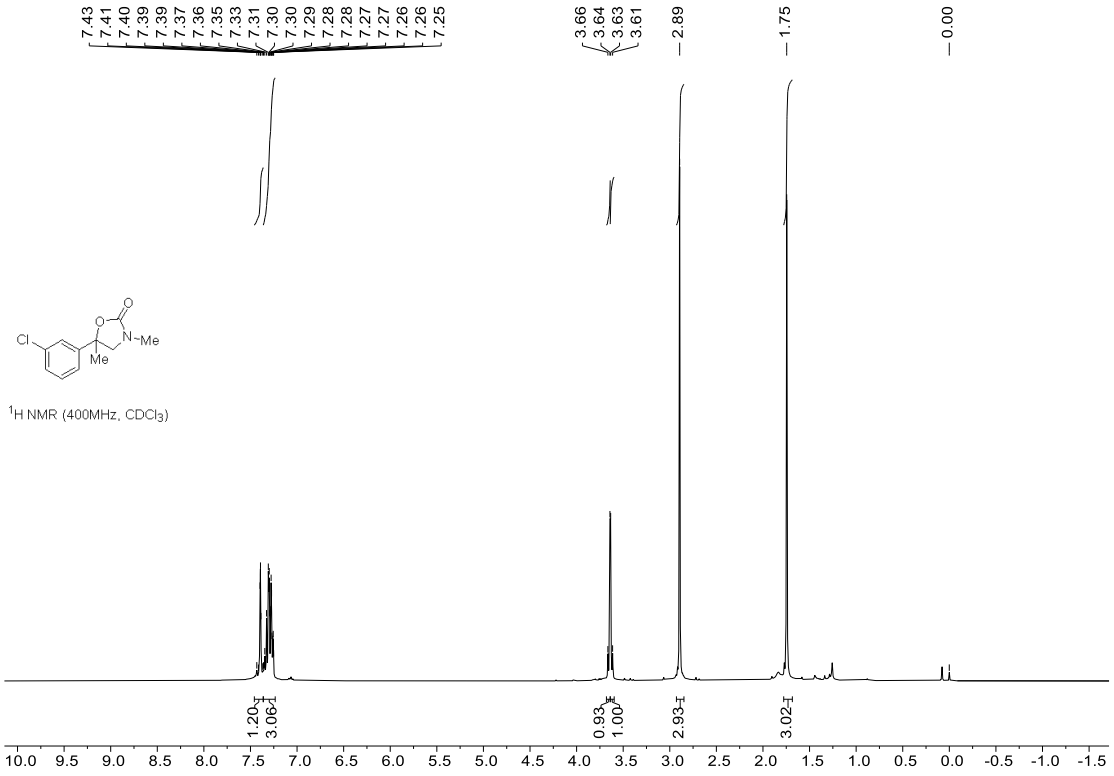


5-(4-bromophenyl)-3,5-dimethyloxazolidin-2-one (3pa)

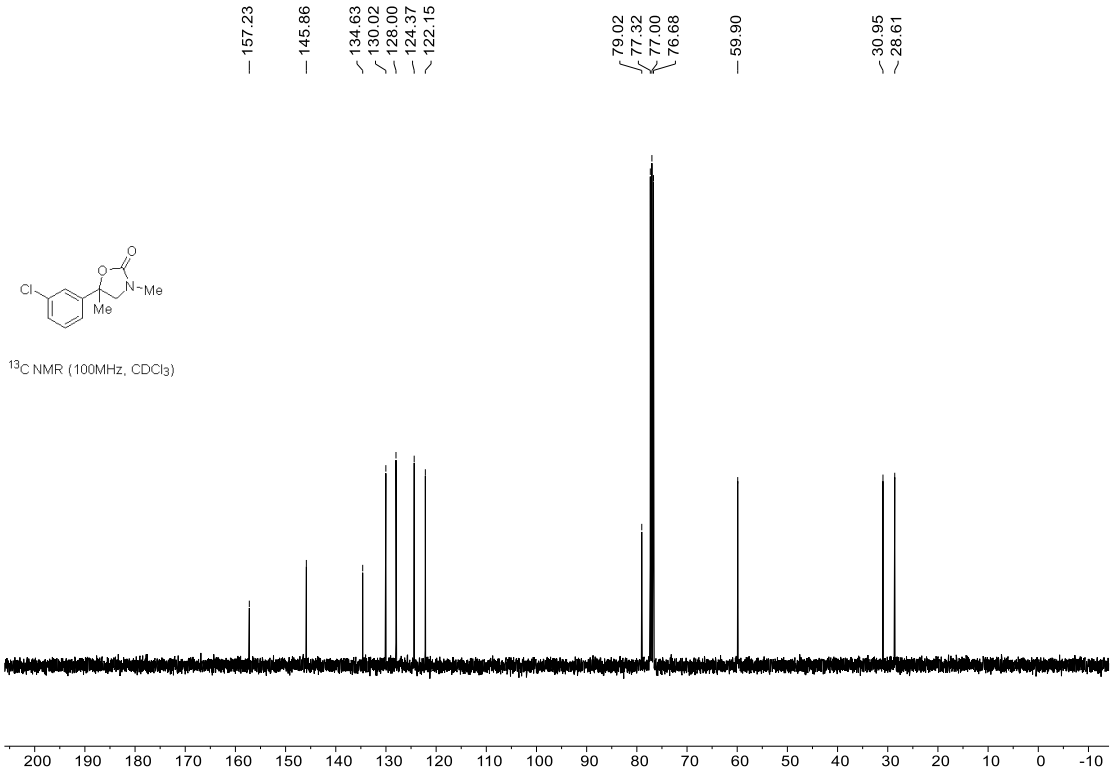




5-(3-chlorophenyl)-3,5-dimethyloxazolidin-2-one (3qa)

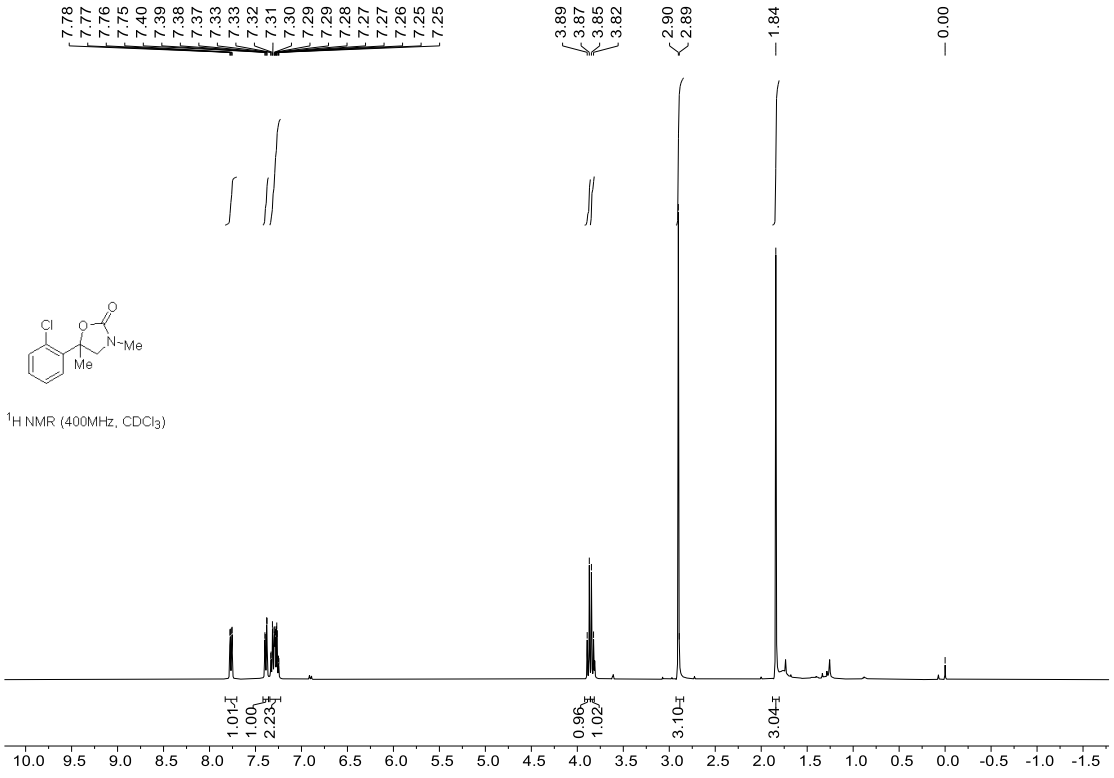


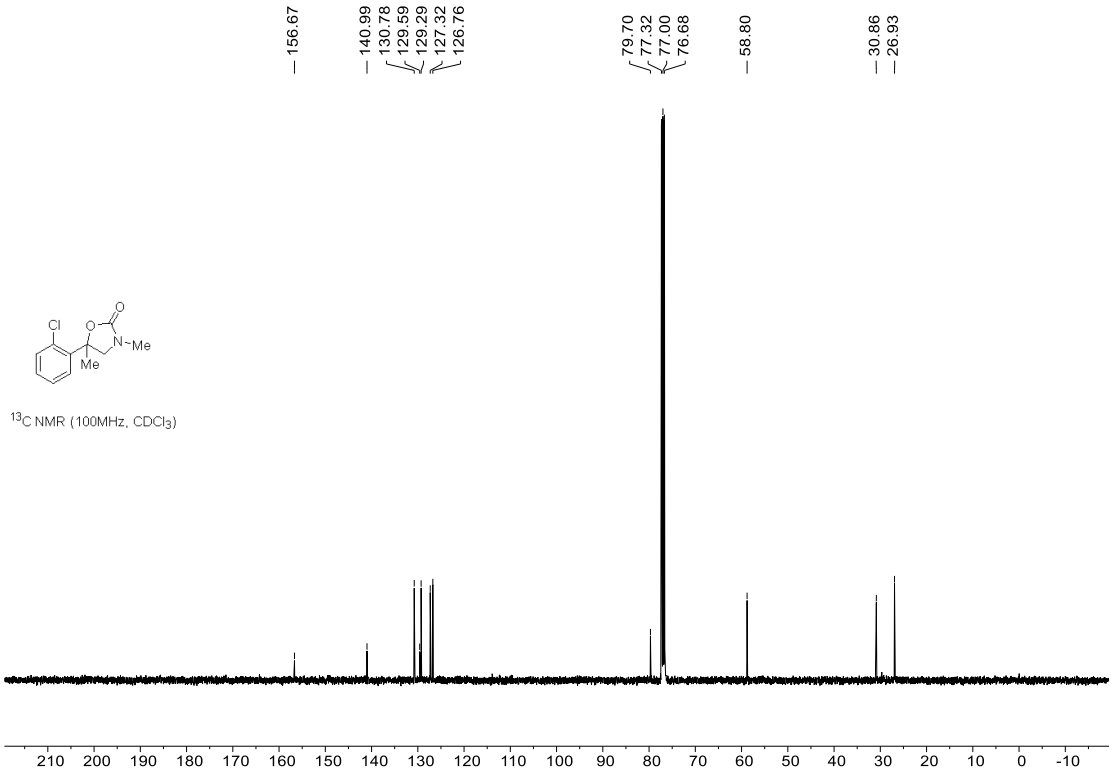
^{1}H NMR (400MHz, $CDCl_3$)



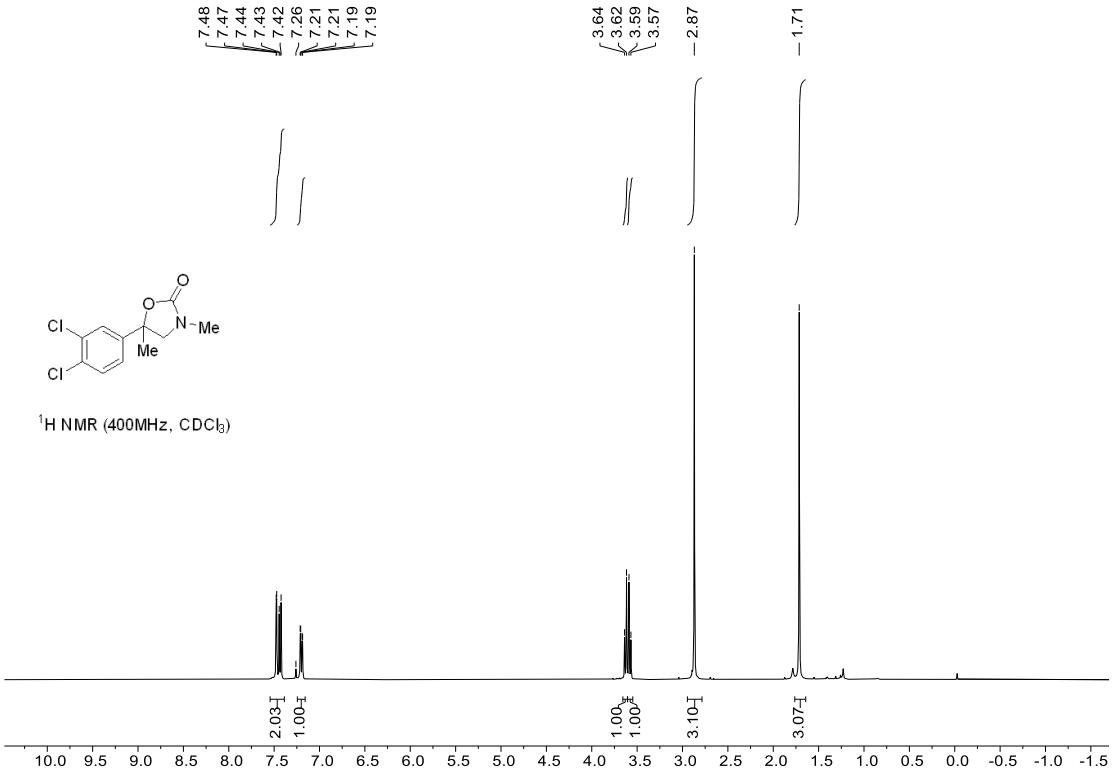
^{13}C NMR (100MHz, $CDCl_3$)

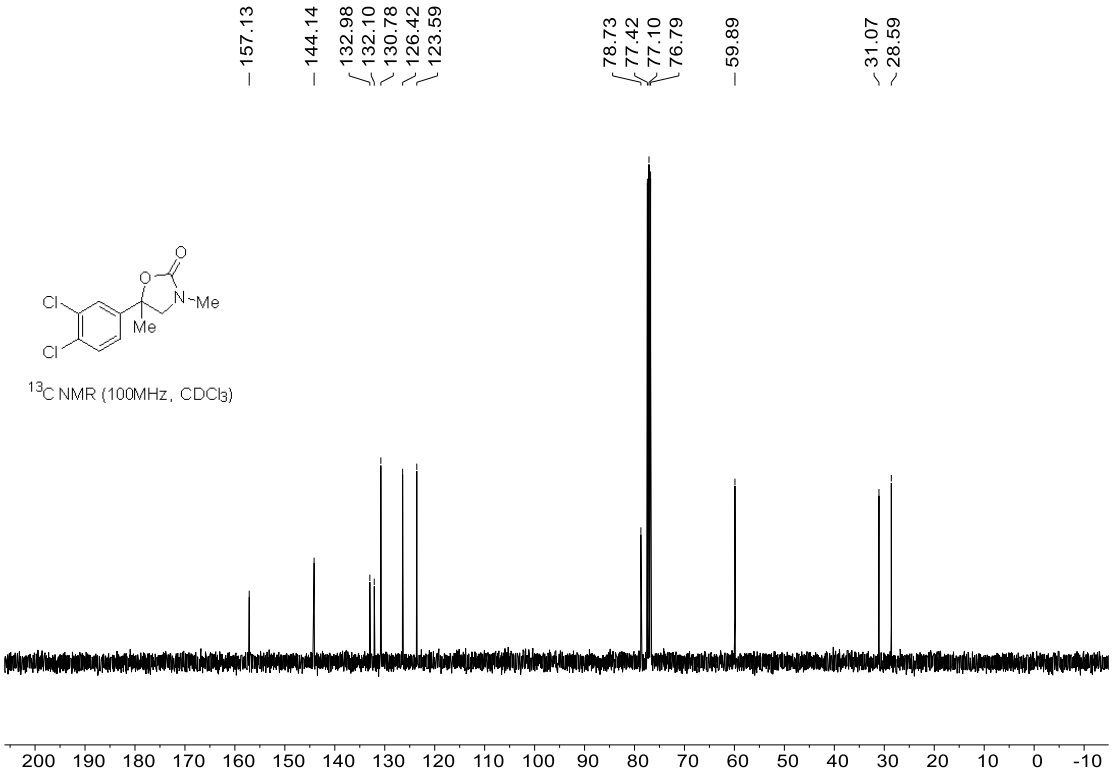
5-(2-chlorophenyl)-3,5-dimethyloxazolidin-2-one (3ra)



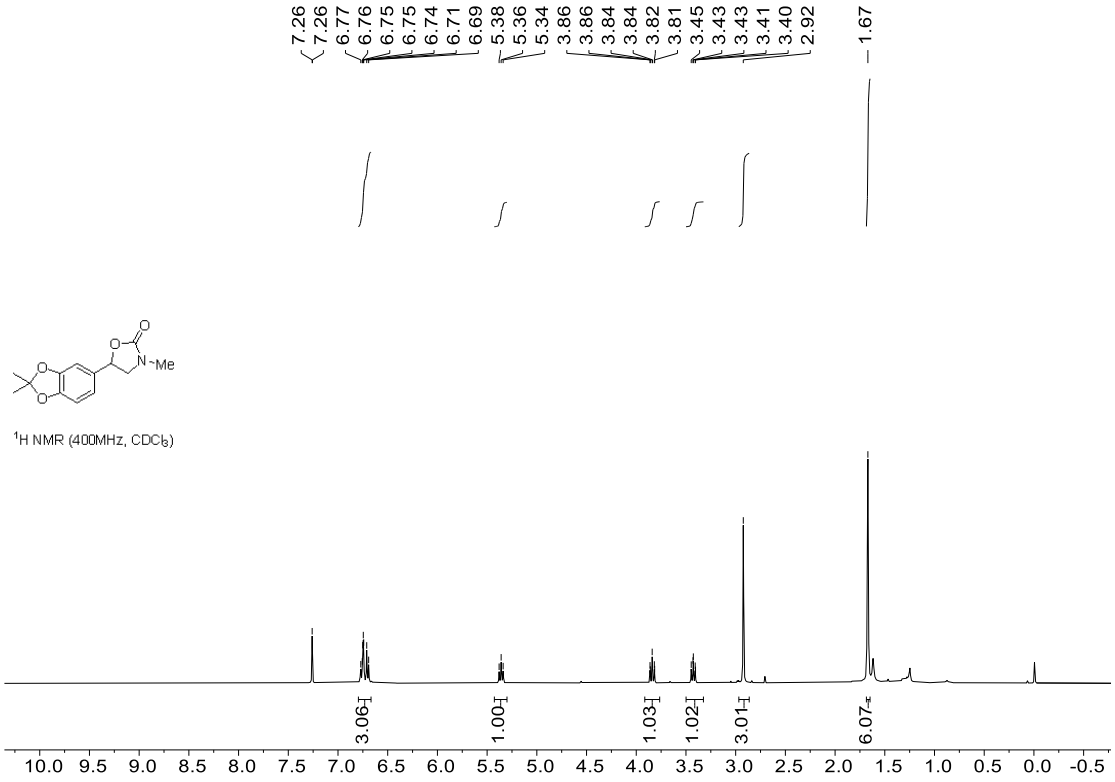


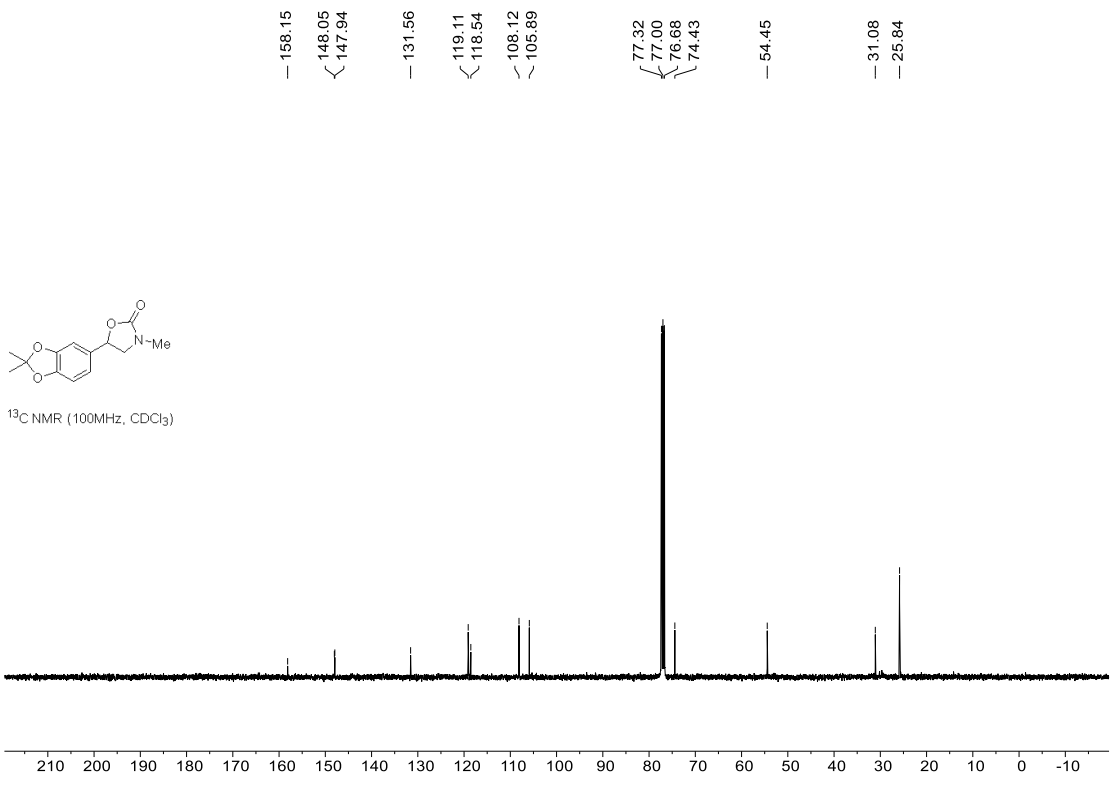
5-(3,4-dichlorocyclohexa-1,5-dien-1-yl)-3,5-dimethyloxazolidin-2-one (3sa)



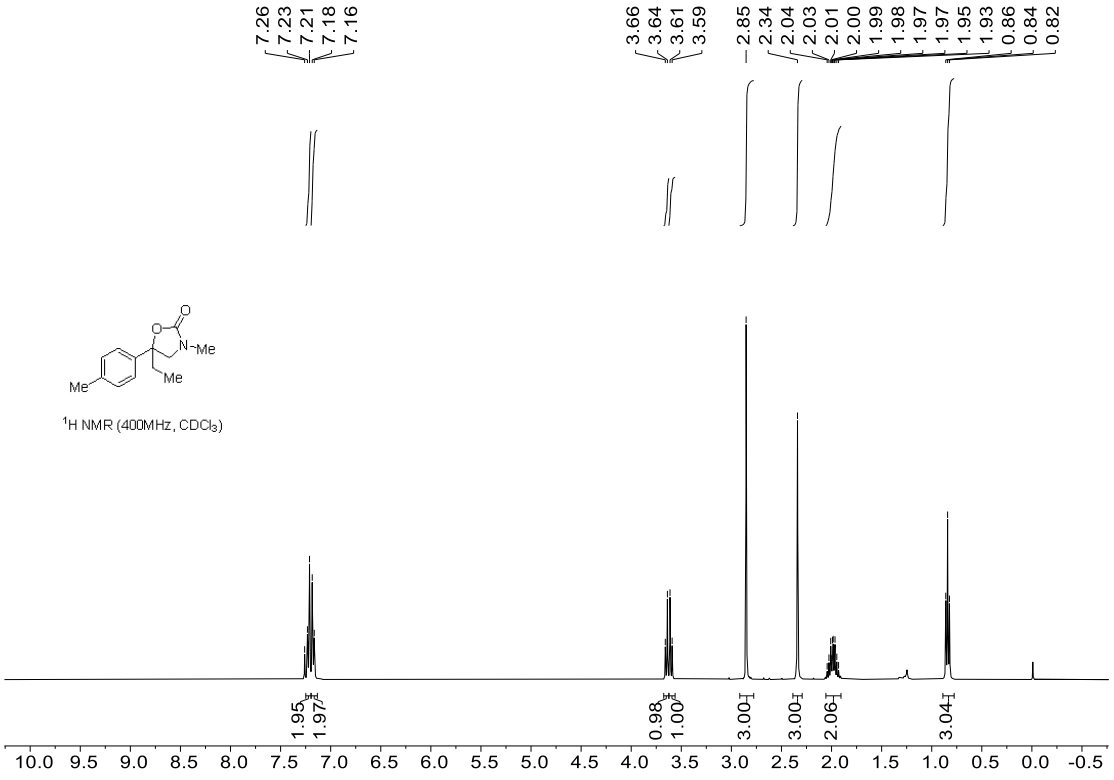


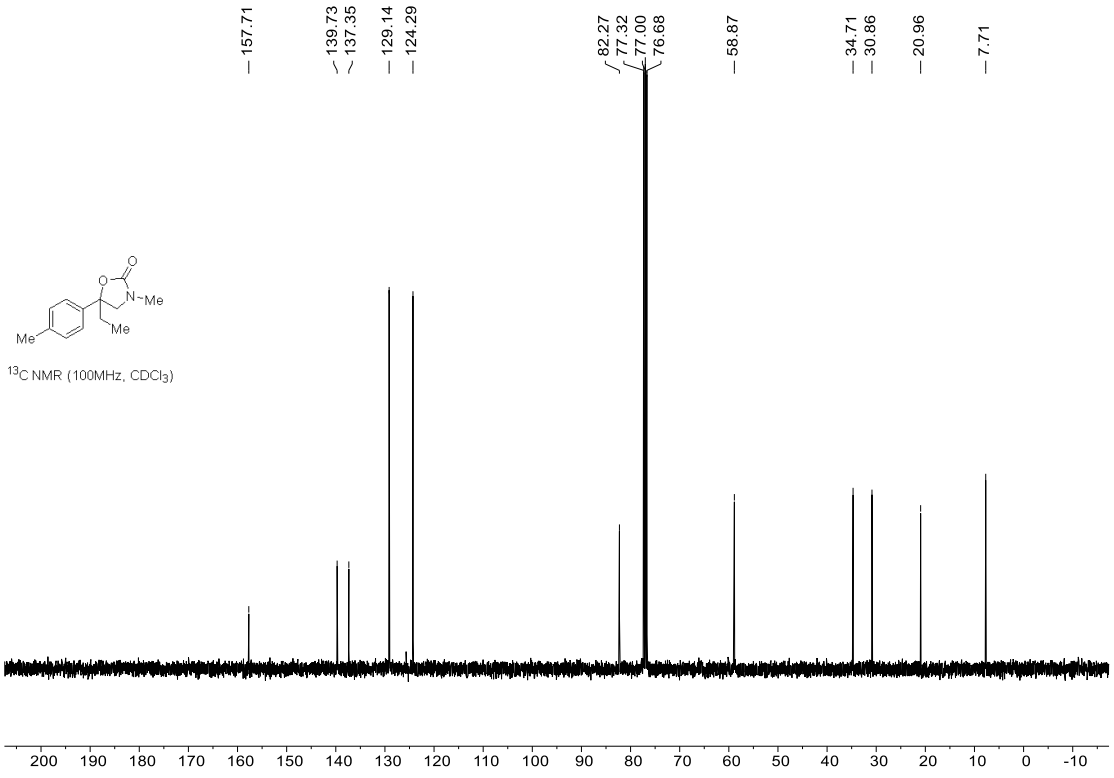
5-(2,2-dimethylbenzo[d][1,3]dioxol-5-yl)-3-methyloxazolidin-2-one (3ta)



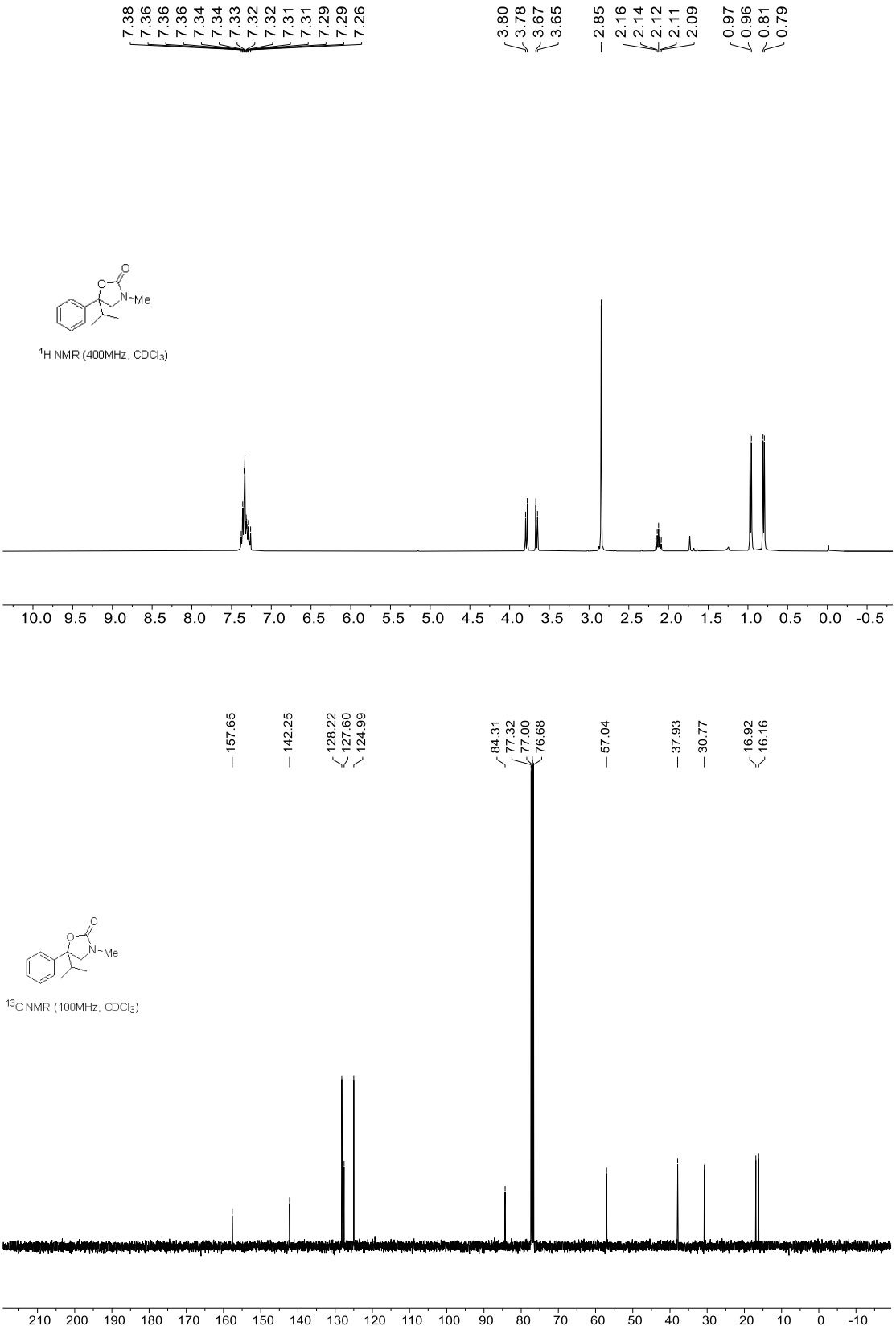


5-ethyl-3-methyl-5-(*p*-tolyl)oxazolidin-2-one (3ua)

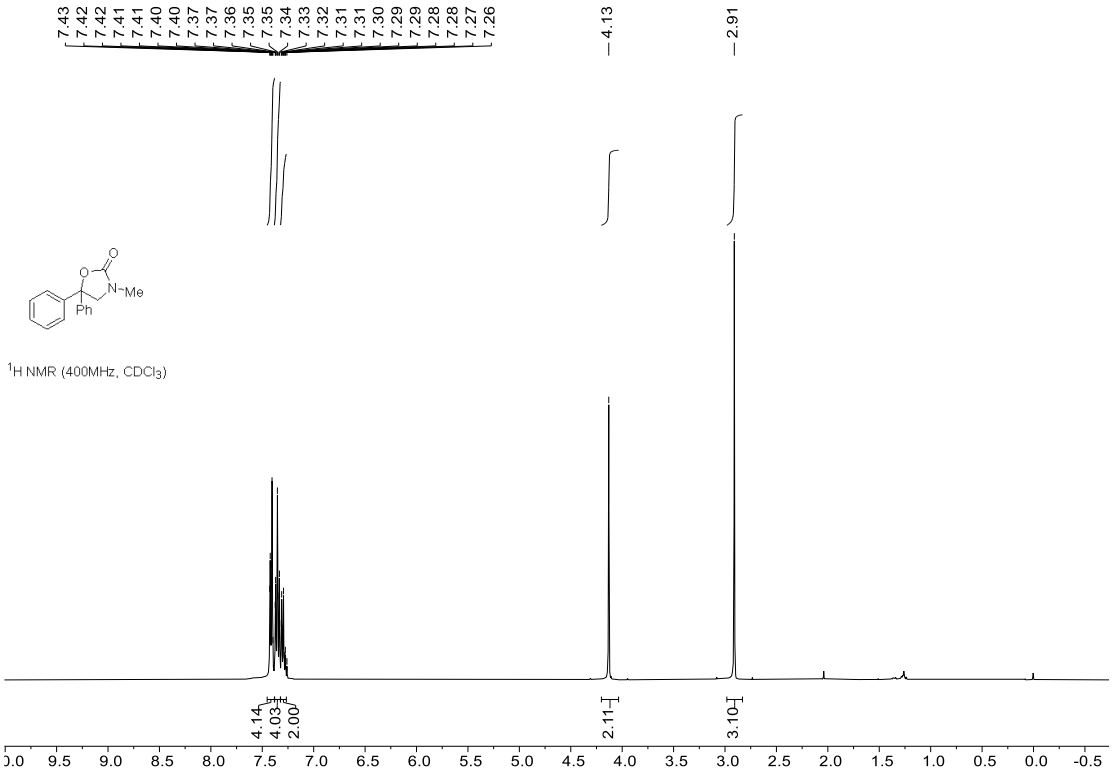


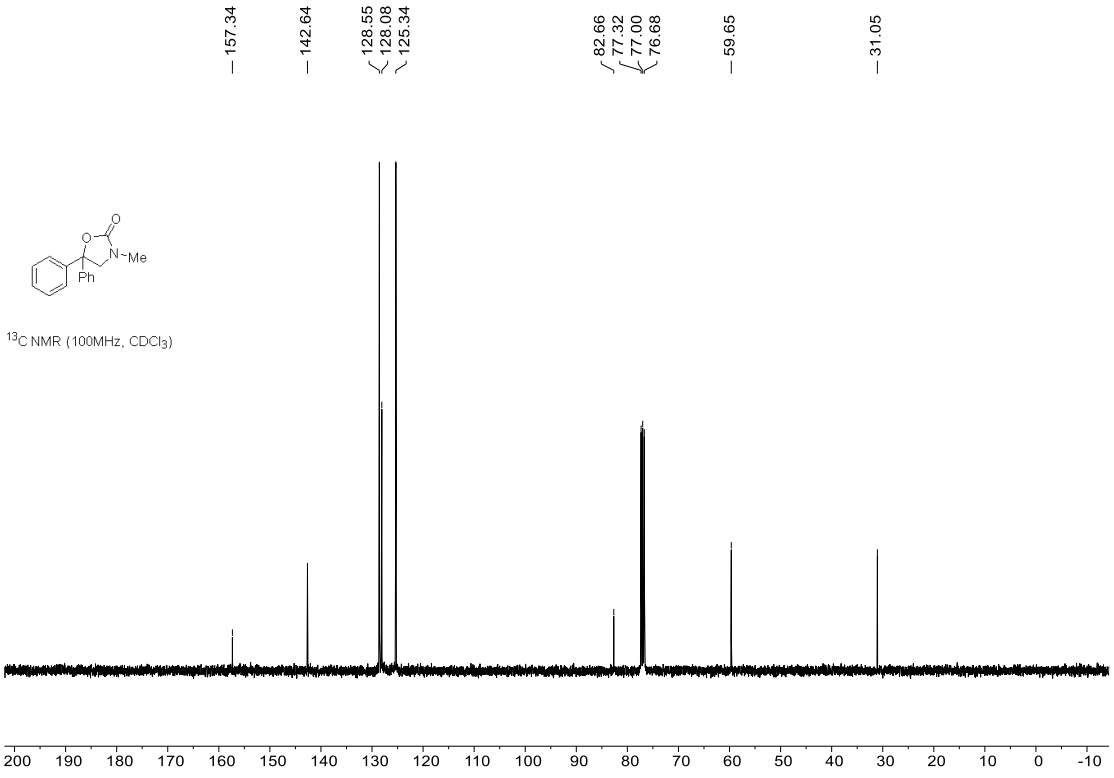


5-isopropyl-3-methyl-5-phenyloxazolidin-2-one (3va)

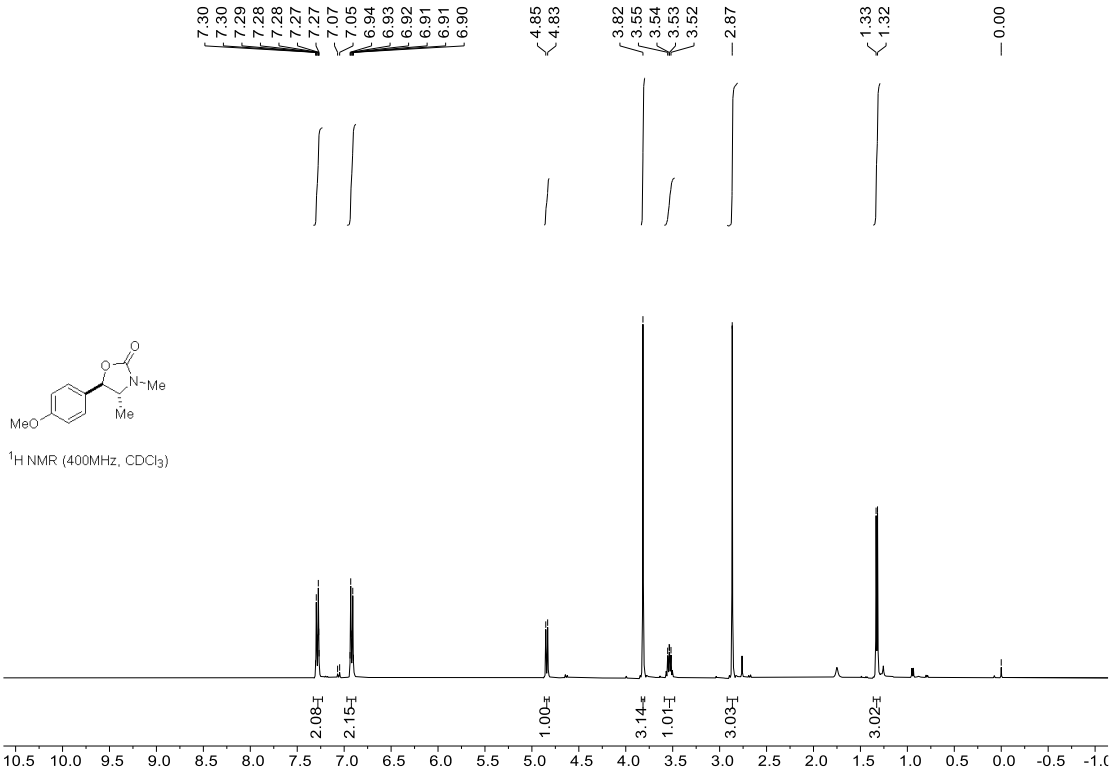


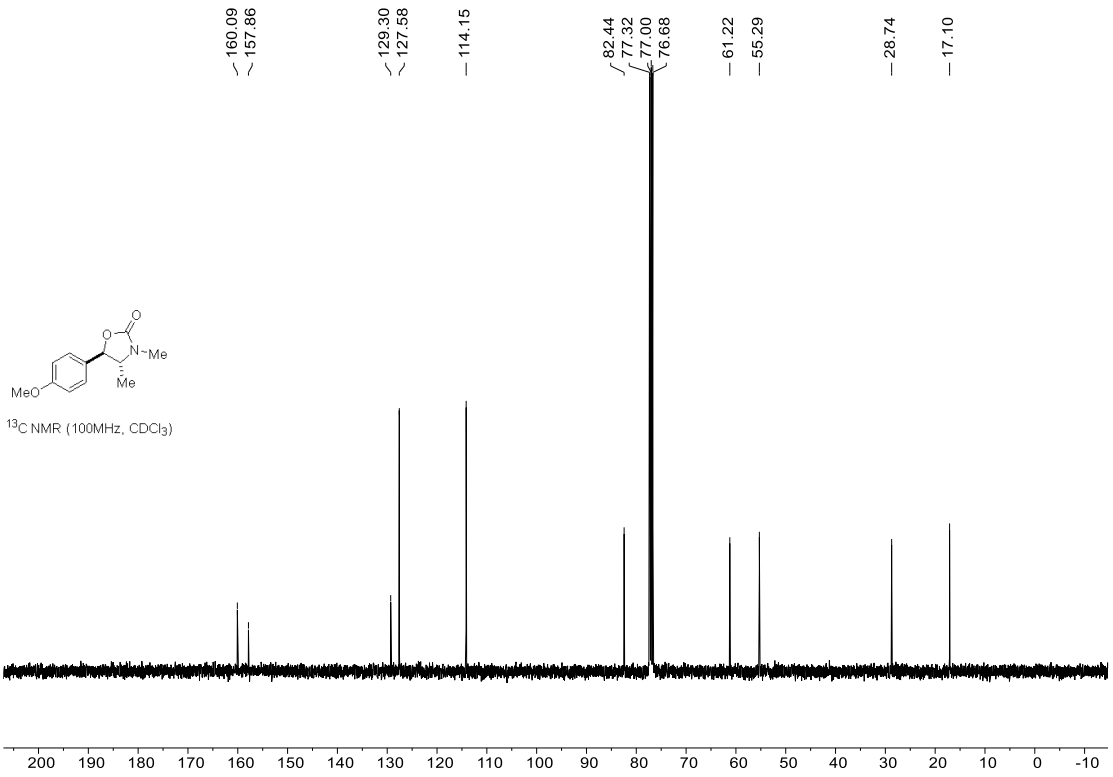
3-methyl-5,5-diphenyloxazolidin-2-one (3wa)

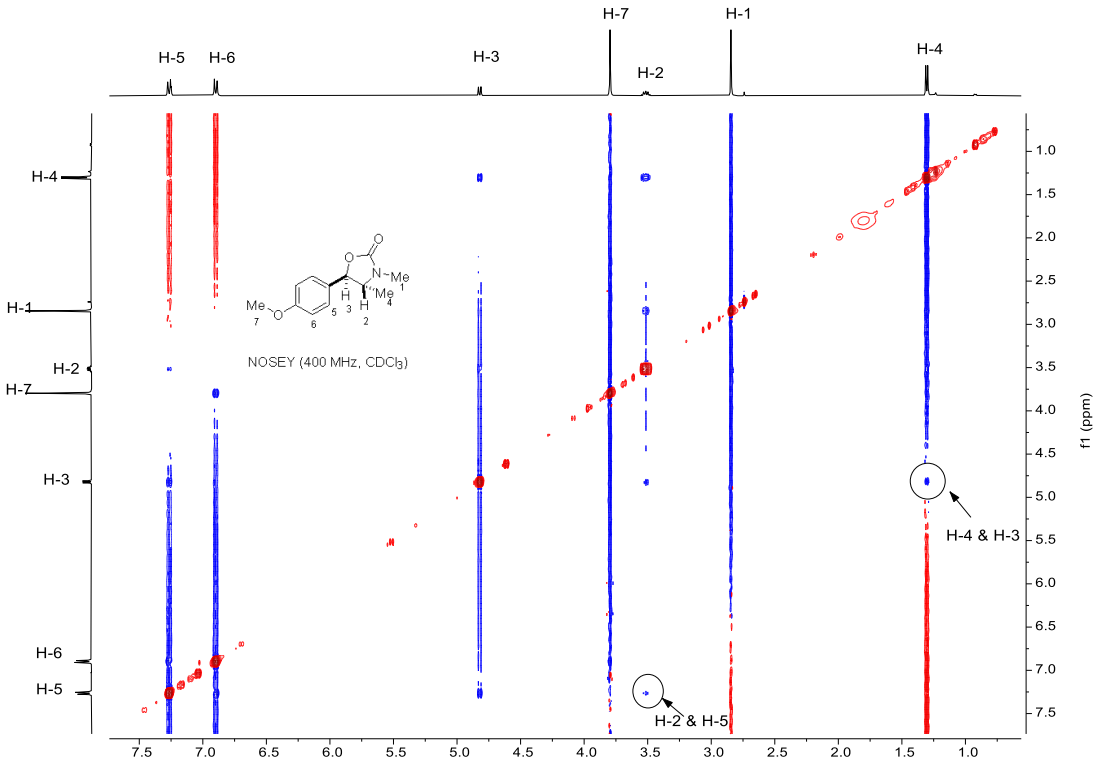




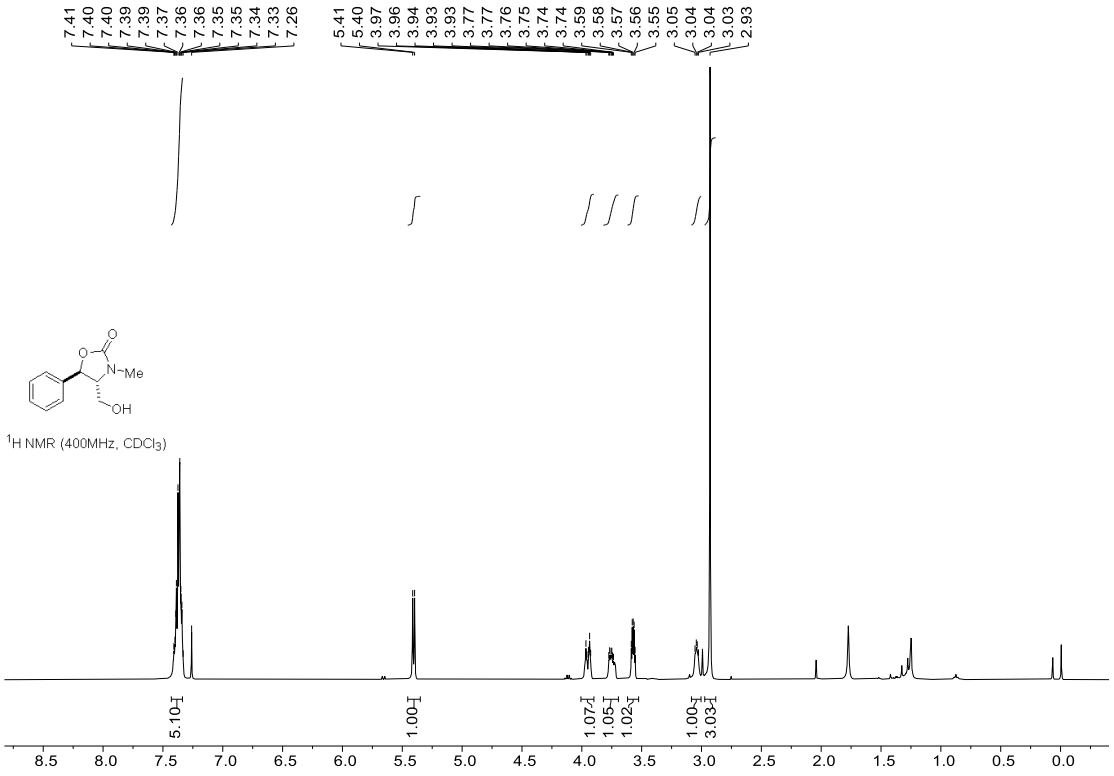
5-(4-methoxyphenyl)-3,4-dimethyloxazolidin-2-one (3xa)

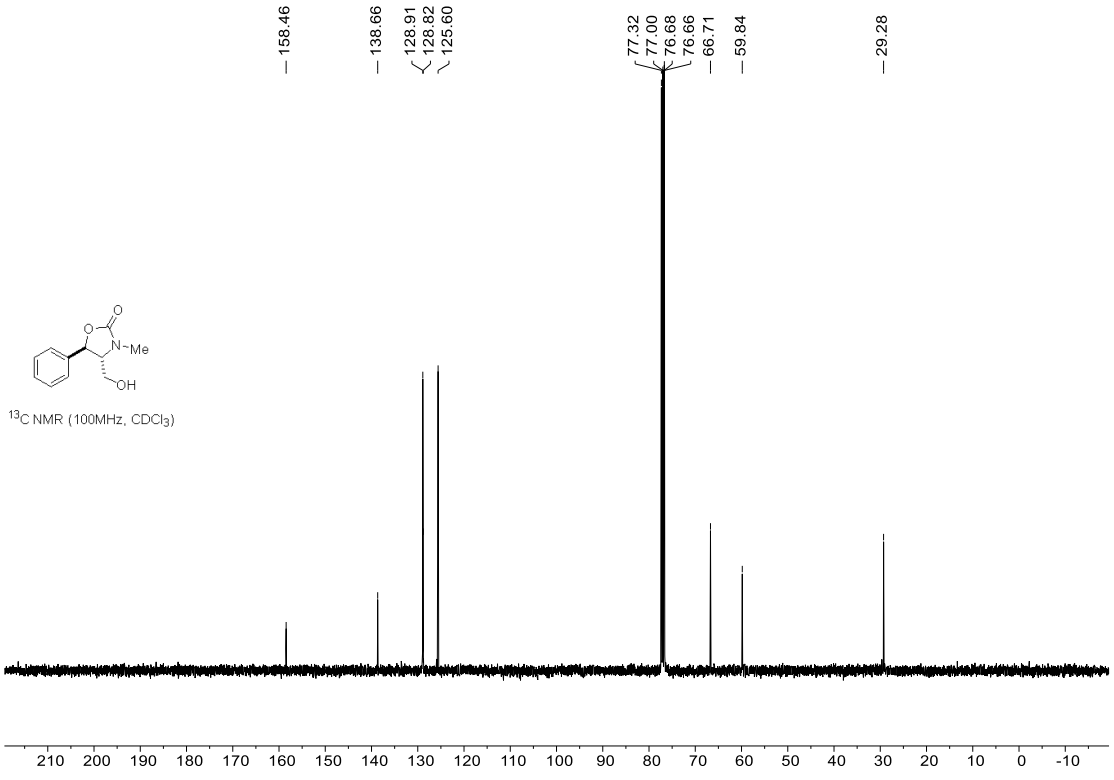




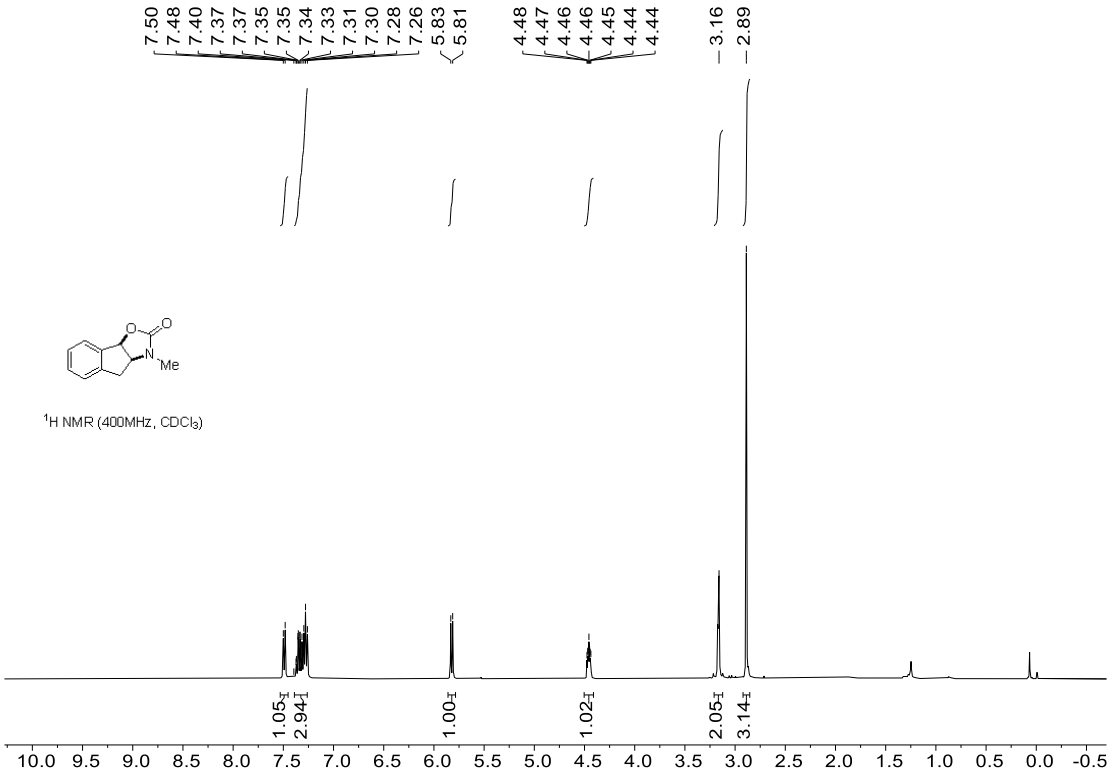

H-5
H-6
H-3
H-7
H-2
H-1
H-4
H-4
H-1
H-2
H-7
H-3
H-6
H-5
NOSEY (400 MHz, CDCl3)
H-2 & H-5
H-4 & H-3
f1 (ppm)

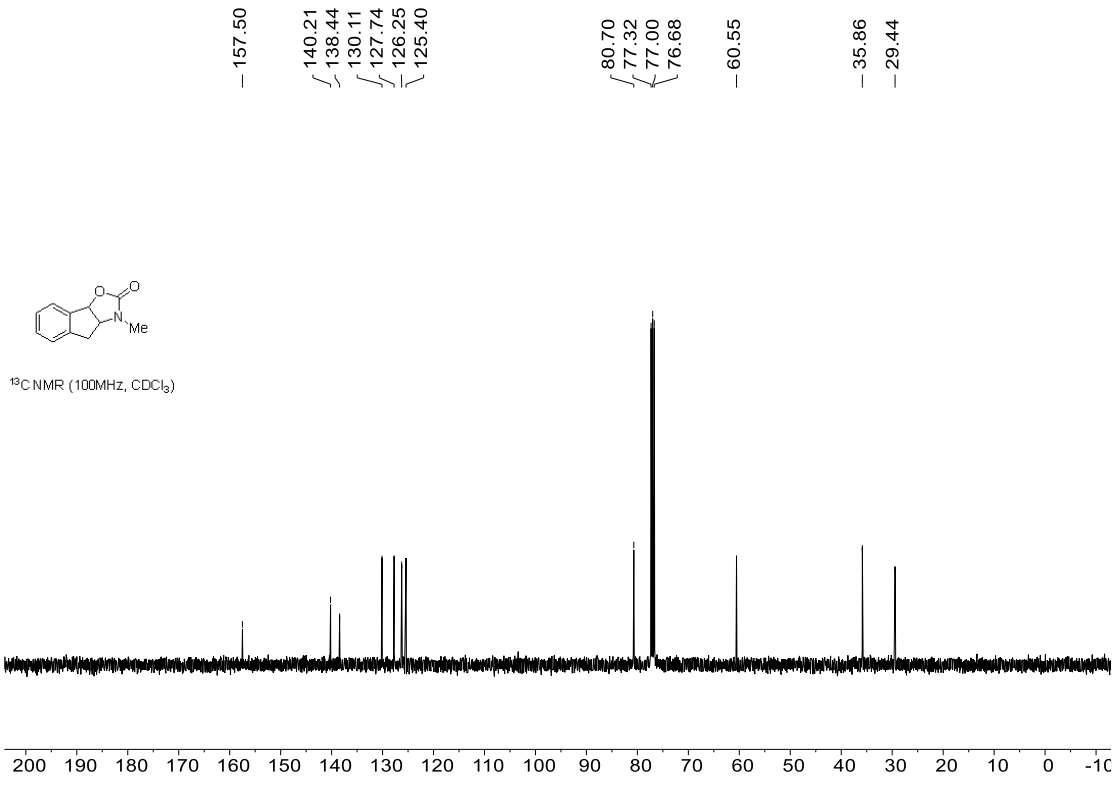
4-(hydroxymethyl)-3-methyl-5-phenyloxazolidin-2-one (3ya)



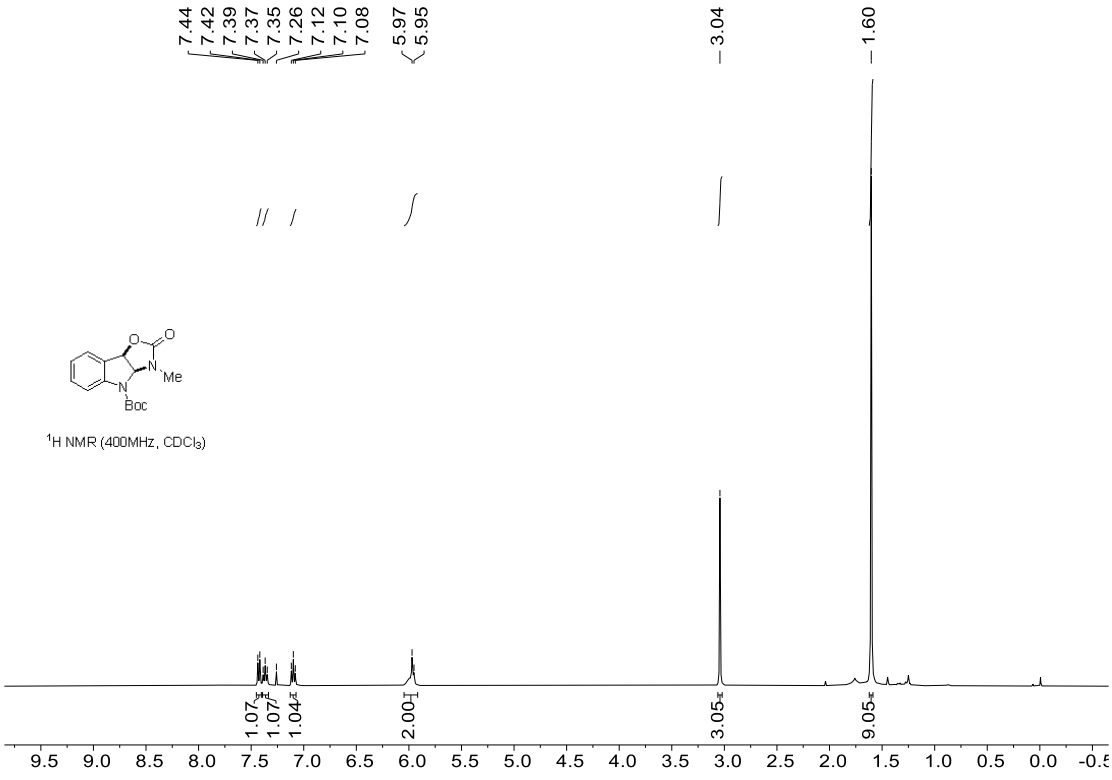


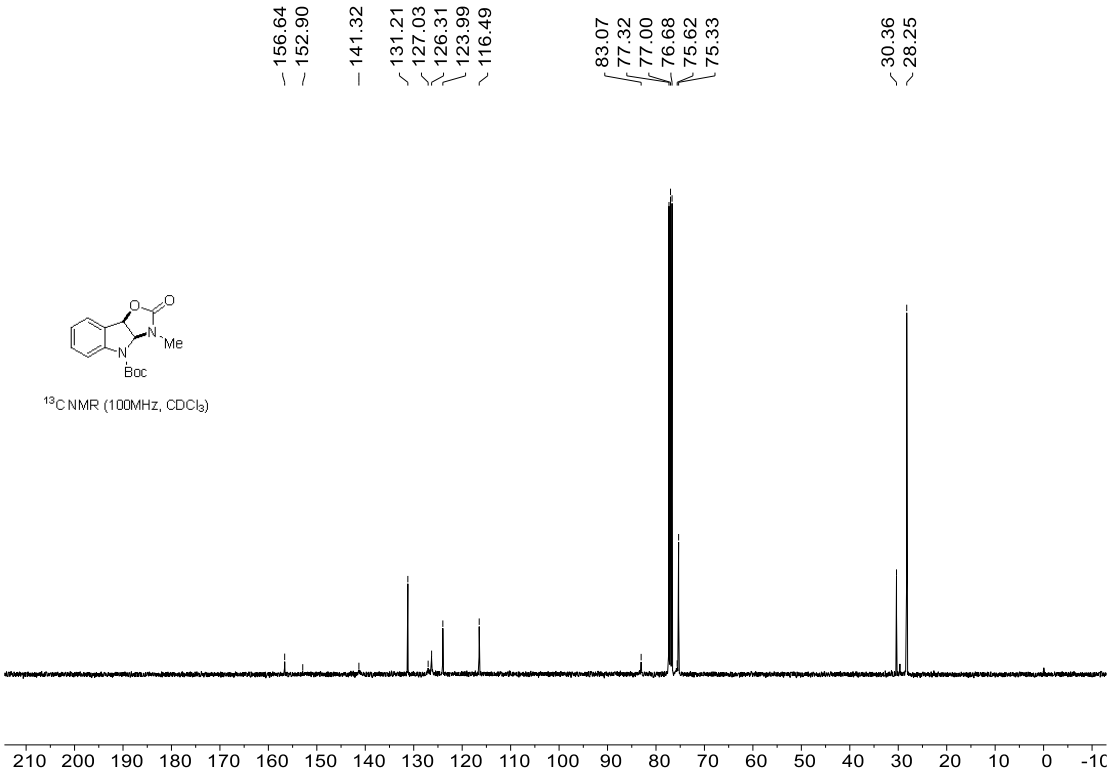
3-methyl-3,3a,4,8b-tetrahydro-2H-indeno[2,1-d]oxazol-2-one (3za)



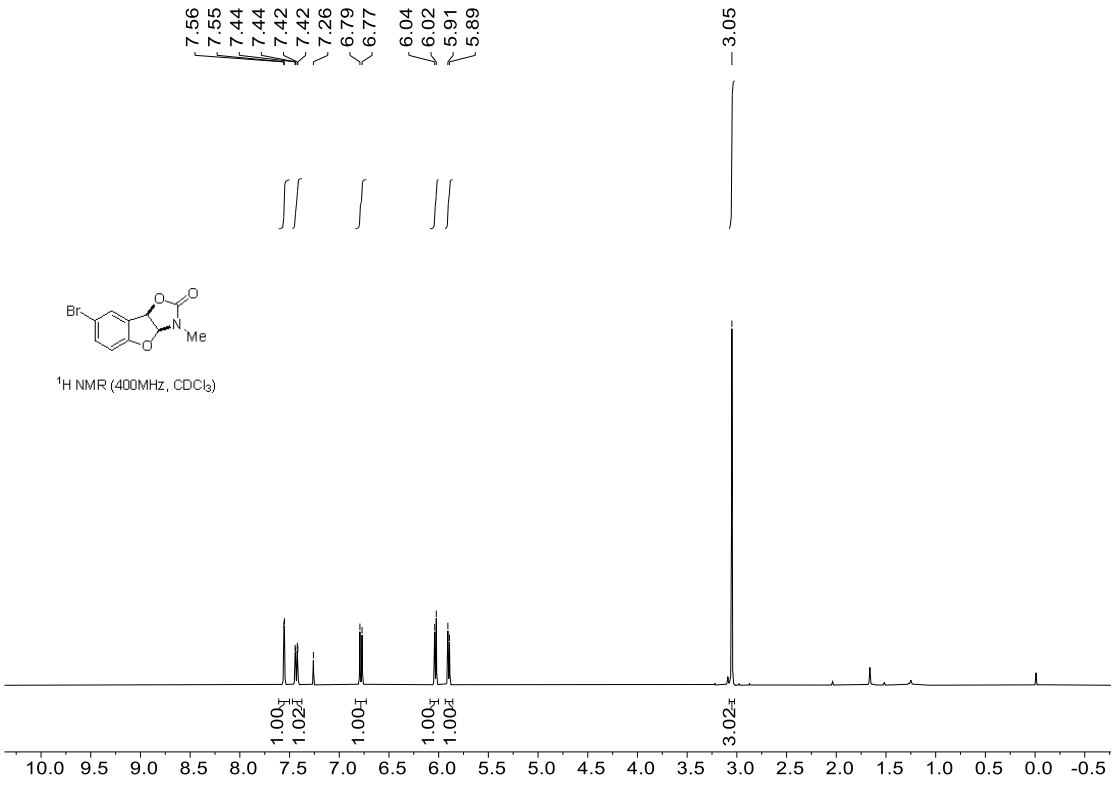


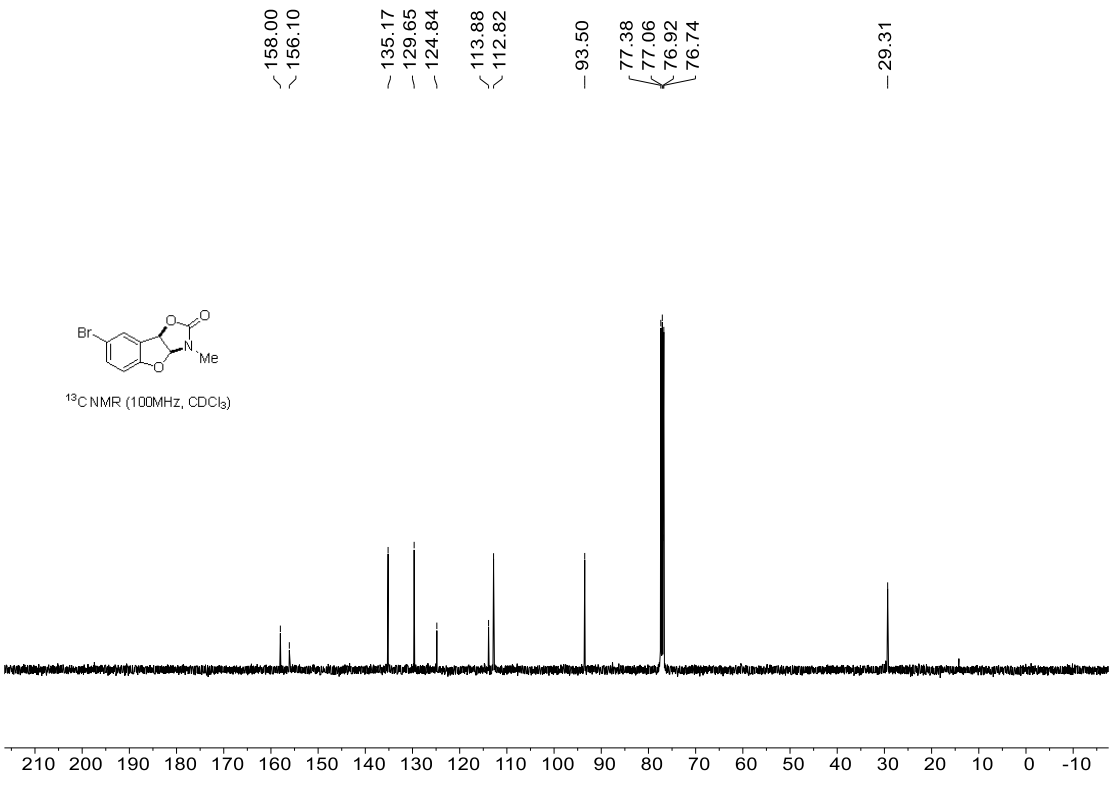
***tert*-butyl 3-methyl-2-oxo-2,3,3a,8b-tetrahydro-4H-oxazolo[4,5-b]indole-4-carboxylate (3a)**



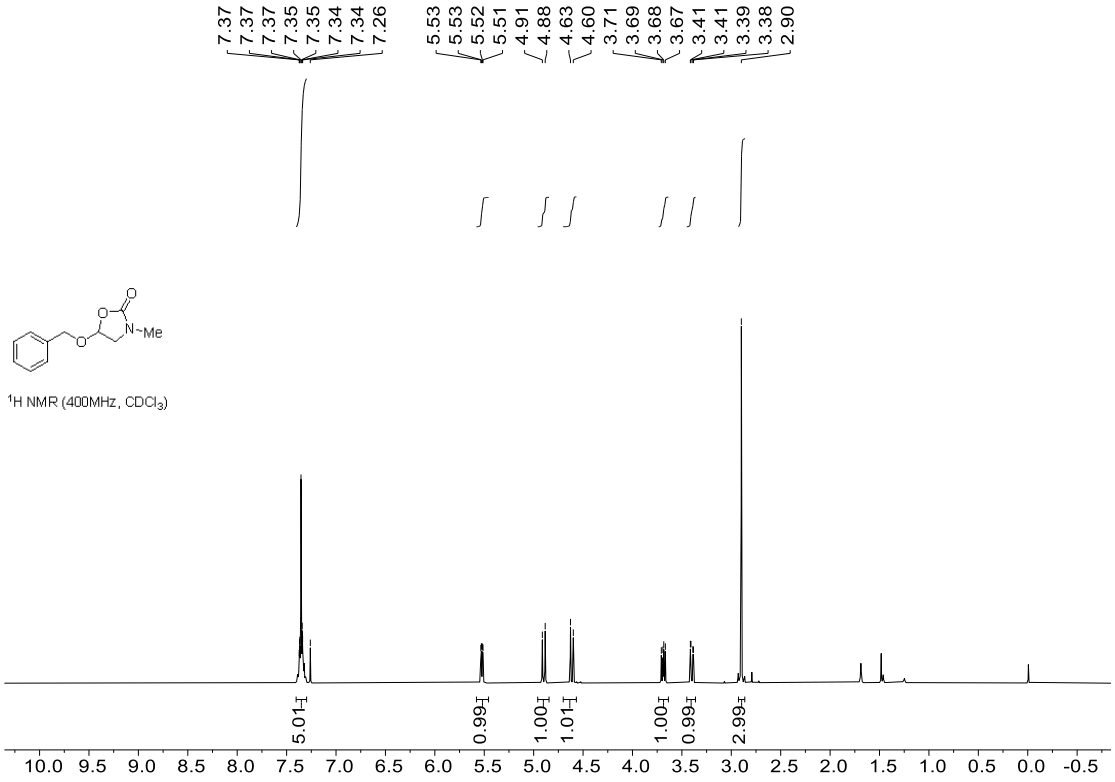


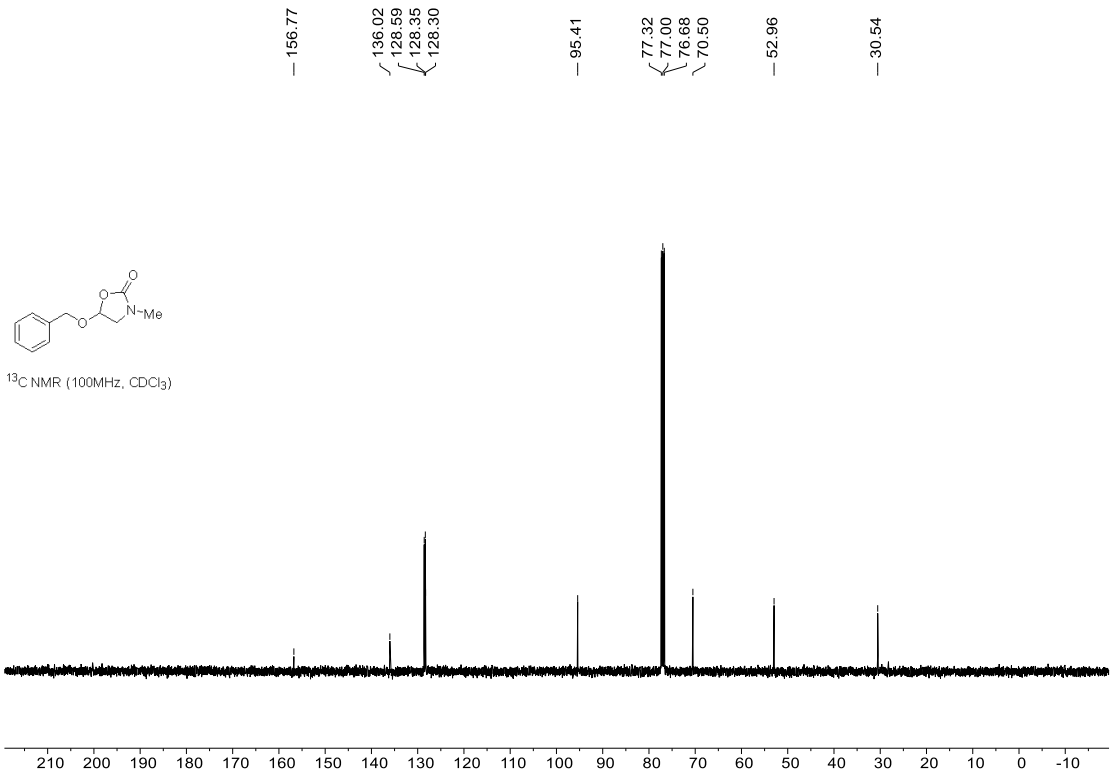
7-bromo-3-methyl-3a,8b-dihydrobenzofuro[2,3-d]oxazol-2(3H)-one (3b)



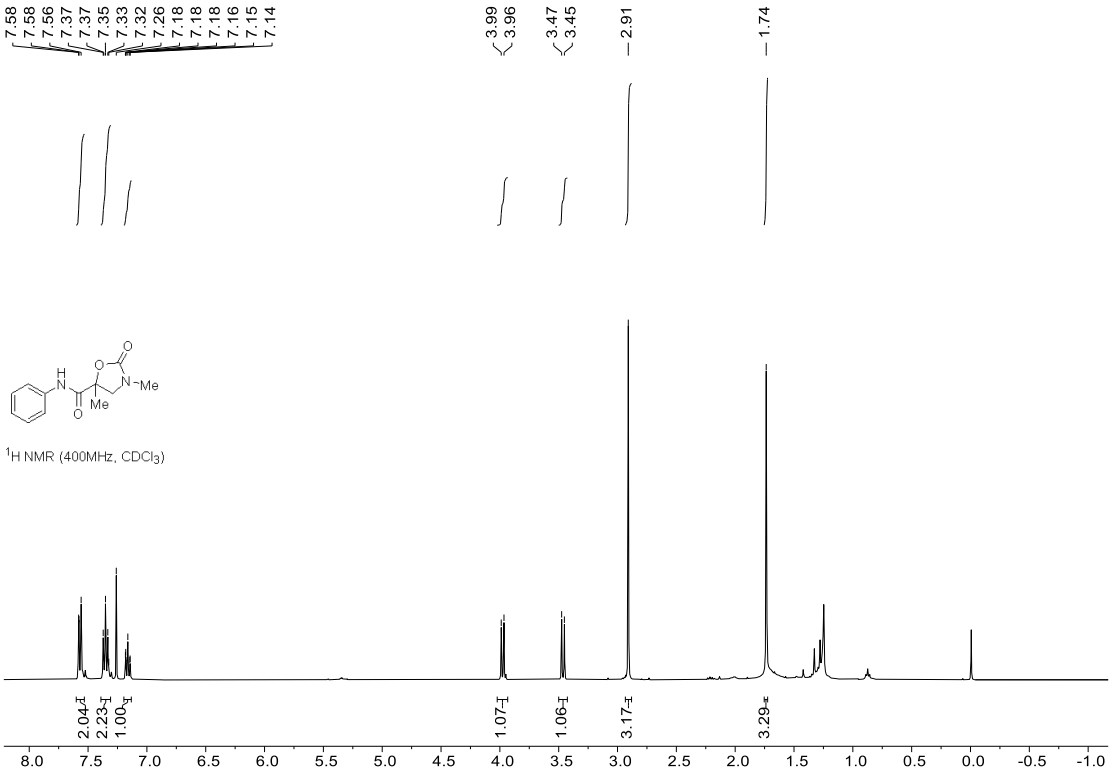


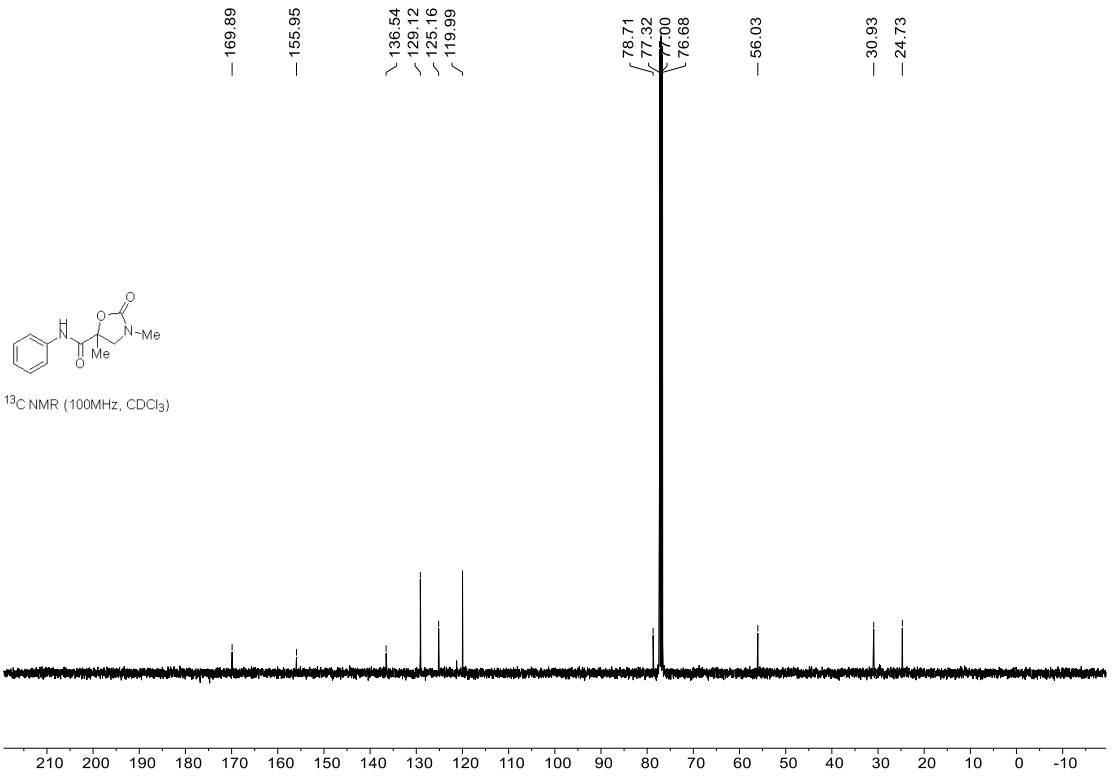
5-(benzyloxy)-3-methyloxazolidin-2-one (3c)



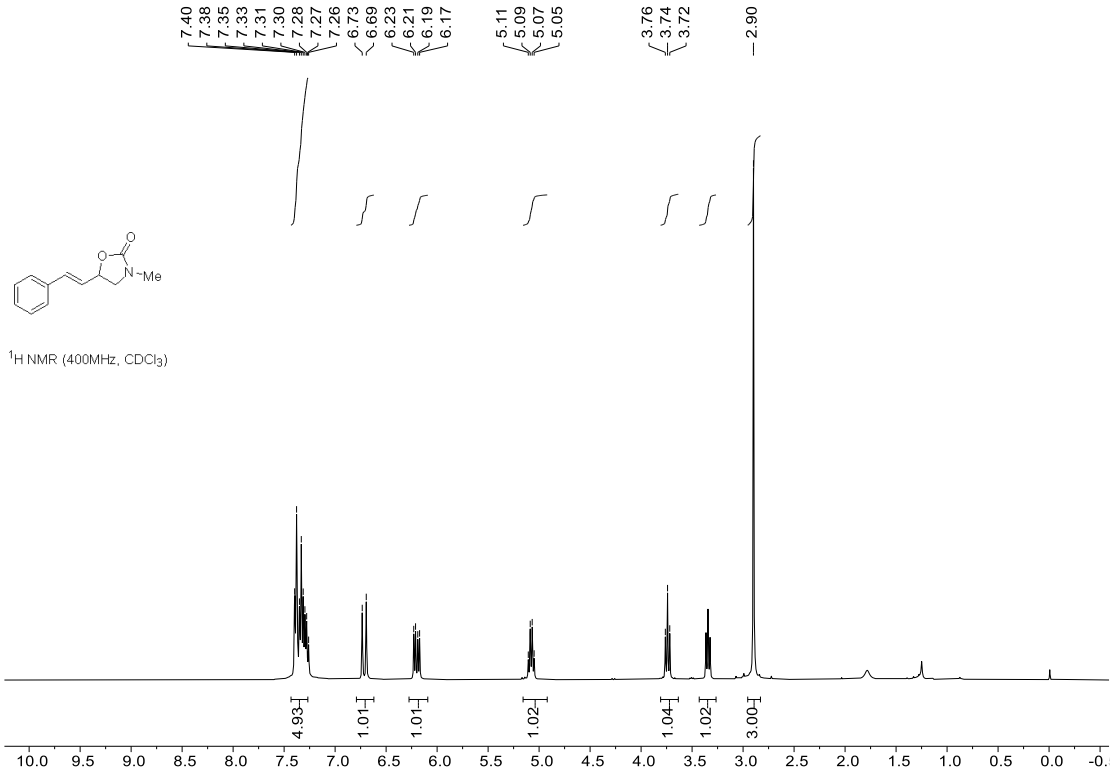


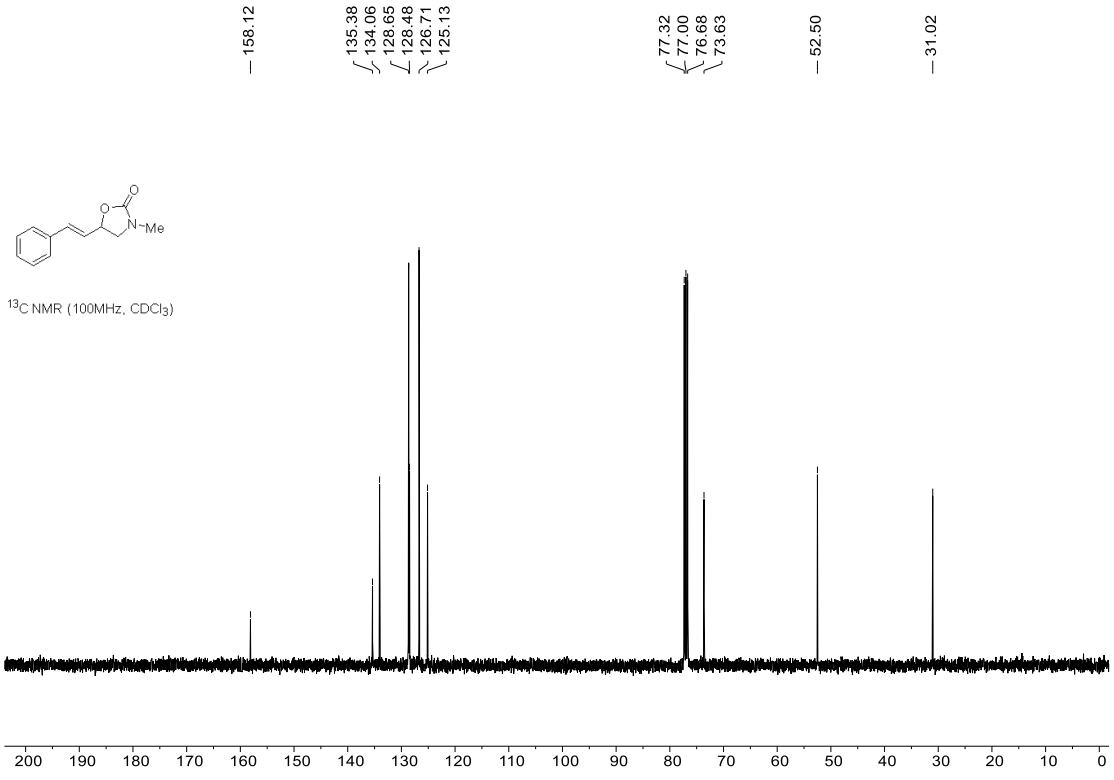
3,5-dimethyl-2-oxo-*N*-phenyloxazolidine-5-carboxamide (3d)



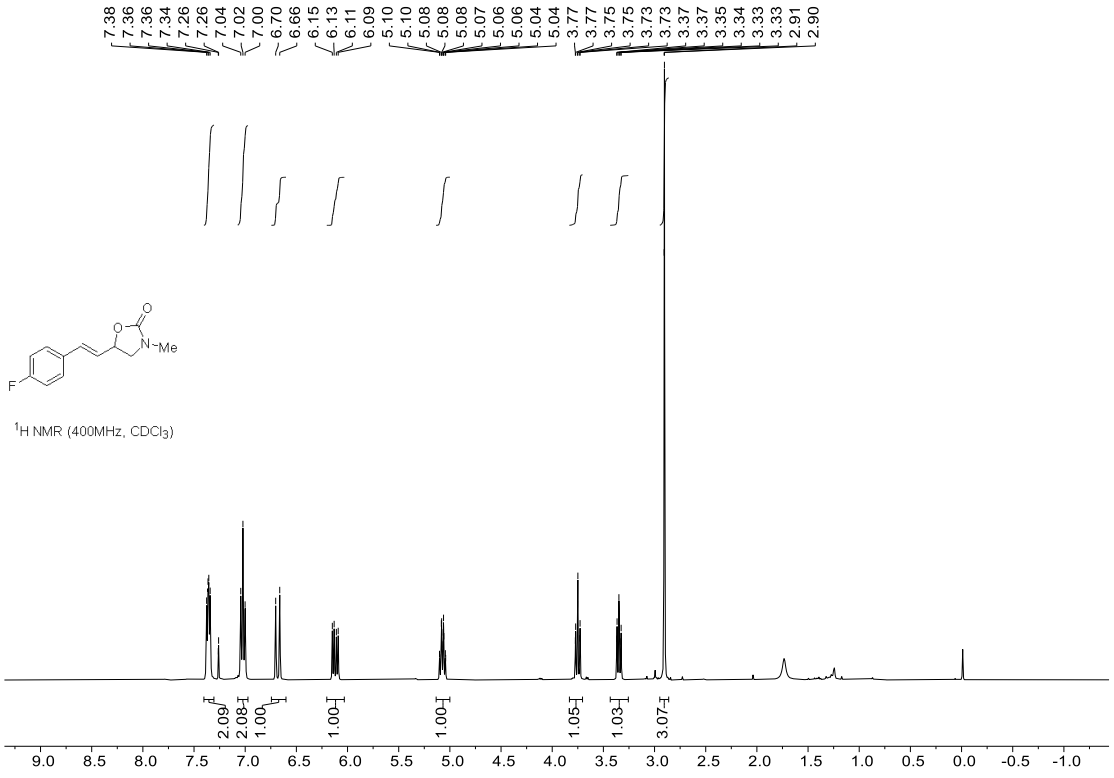


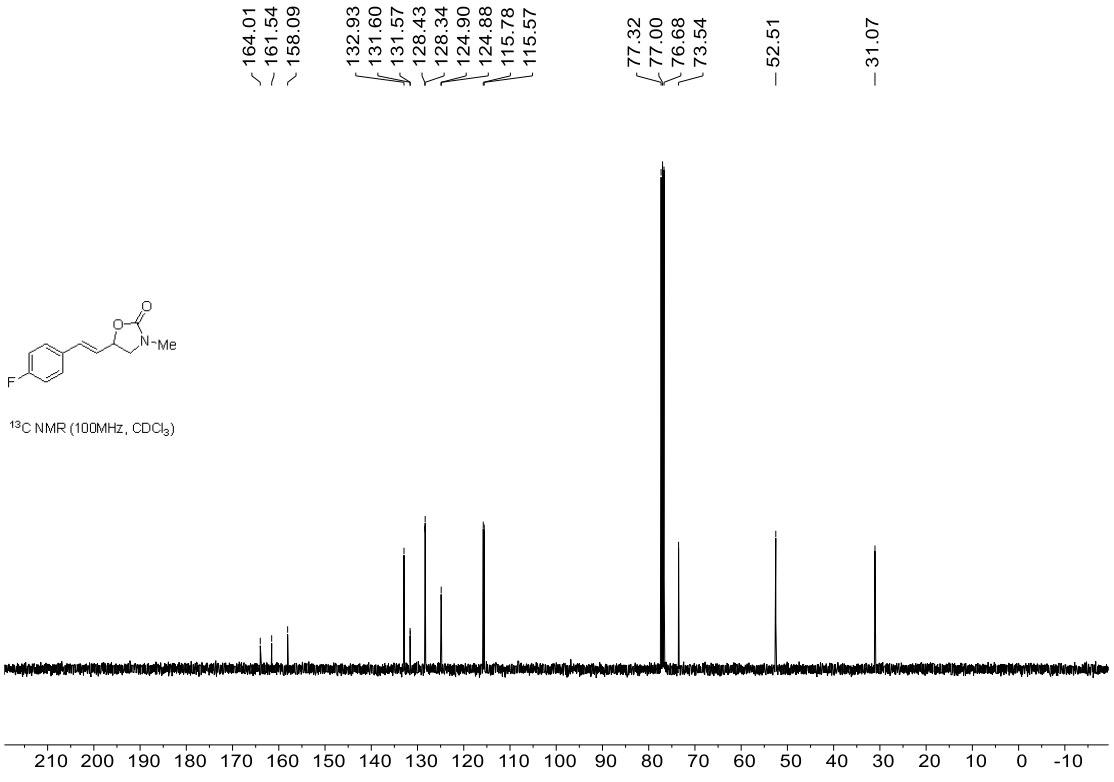
(*E*)-3-methyl-5-styryloxazolidin-2-one (3e)

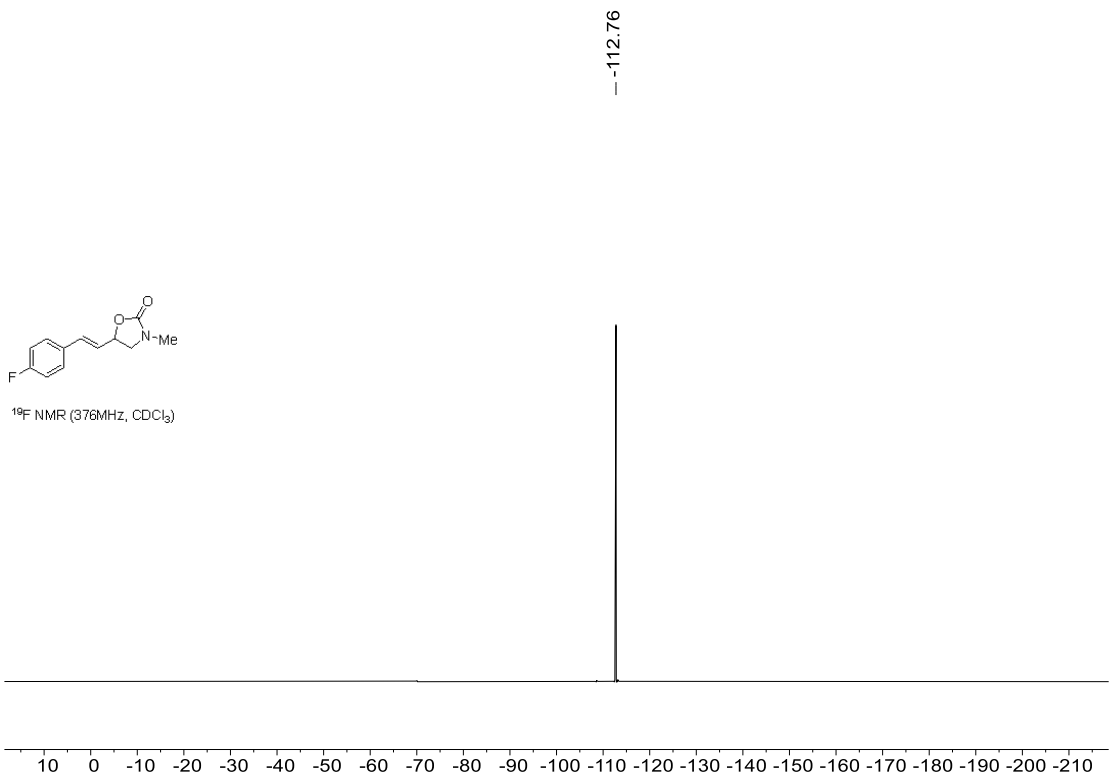




***(E)*-5-(4-fluorostyryl)-3-methyloxazolidin-2-one (3f)**

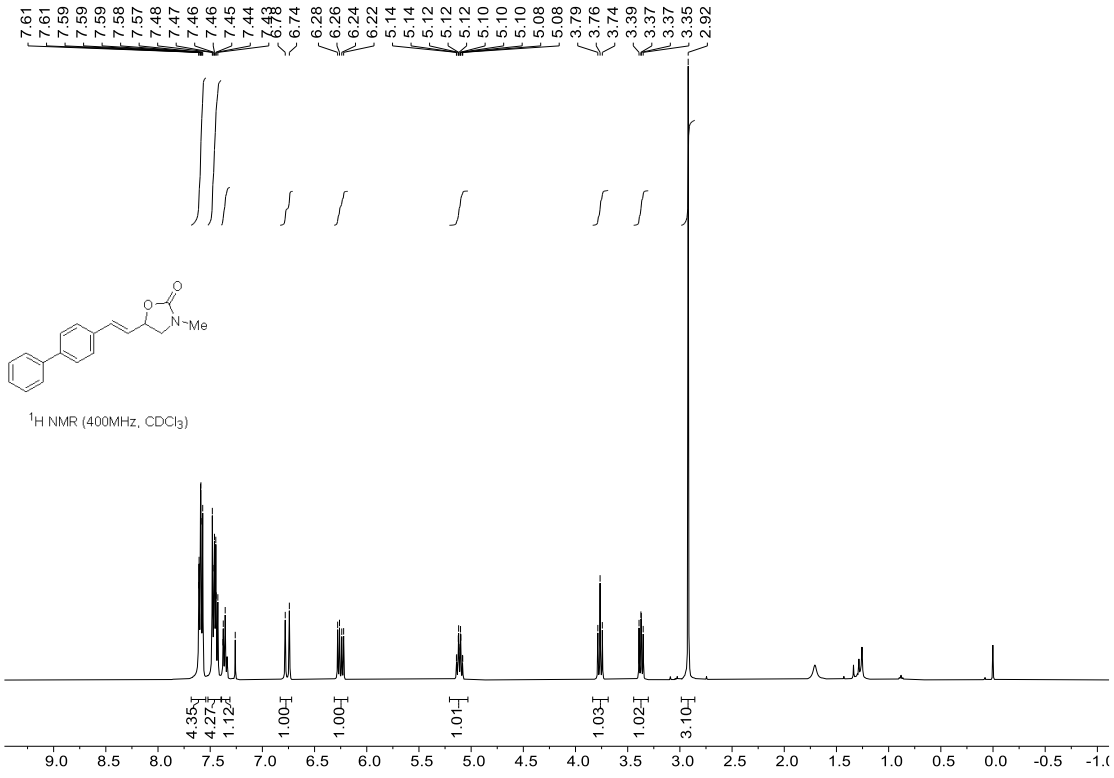


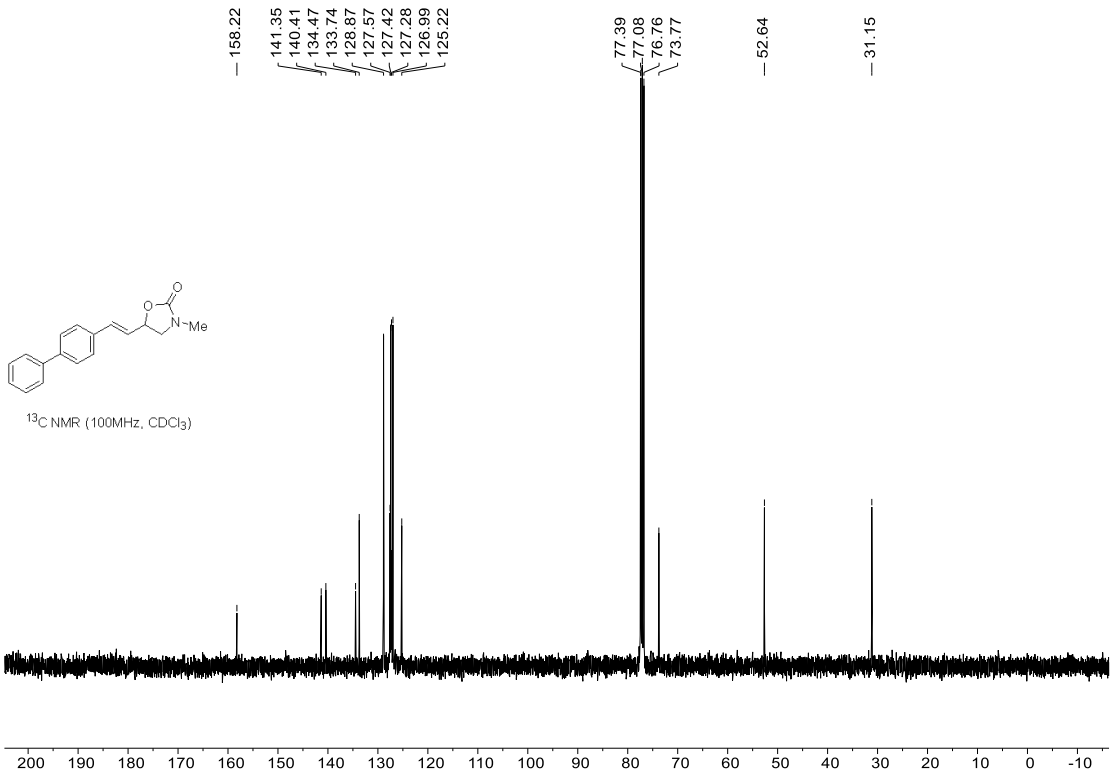




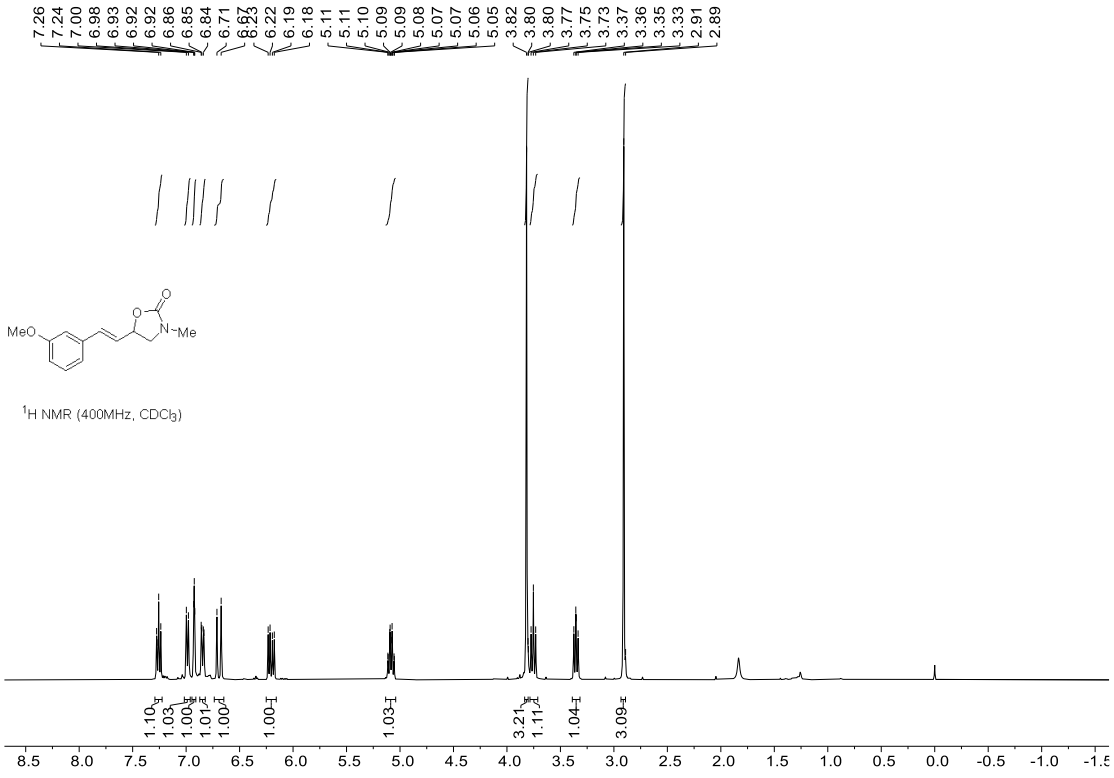
^{19}F NMR (376MHz, $CDCl_3$)

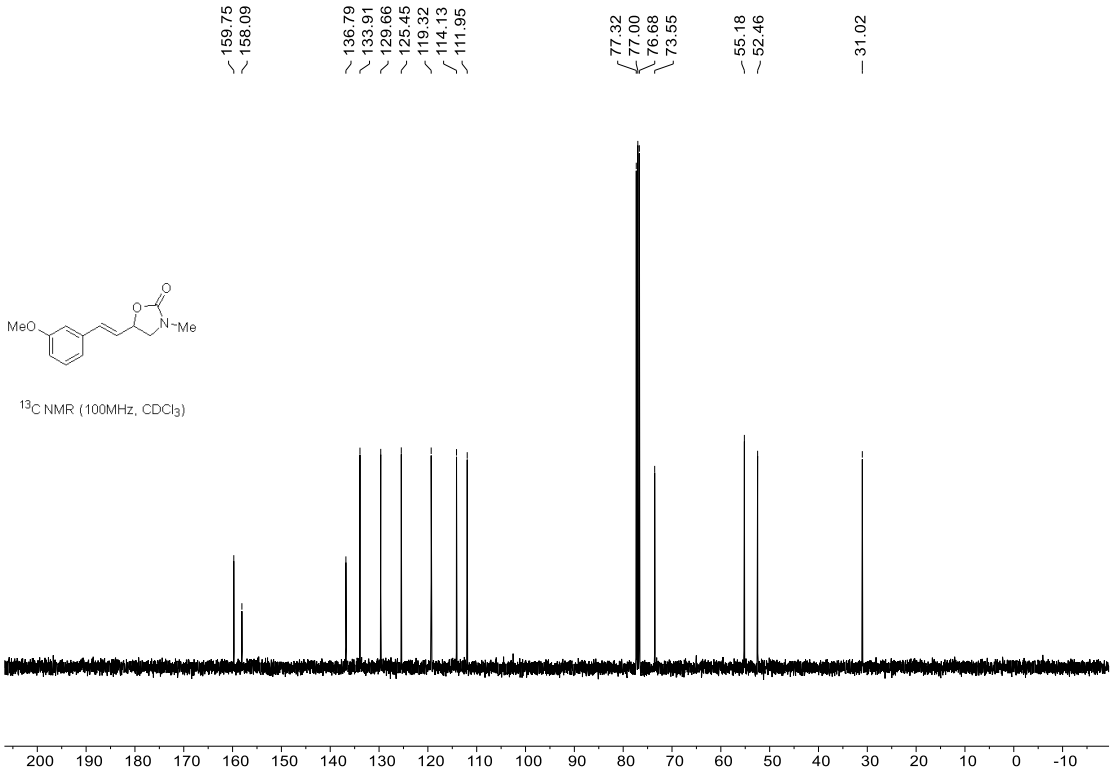
***(E)*-5-(2-([1,1'-biphenyl]-4-yl)vinyl)-3-methyloxazolidin-2-one (3g)**



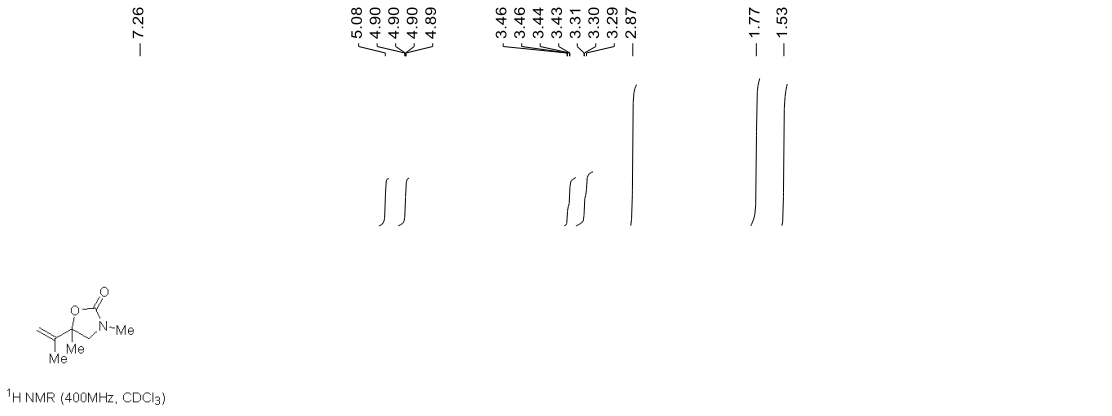


***(E)*-5-(3-methoxystyryl)-3-methyloxazolidin-2-one (3h)**

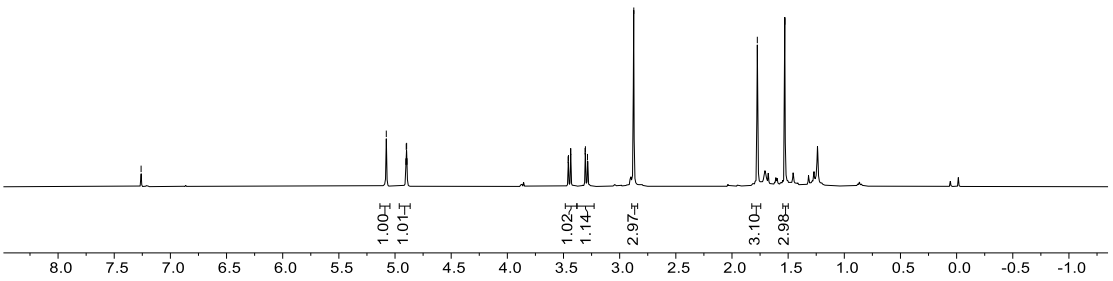


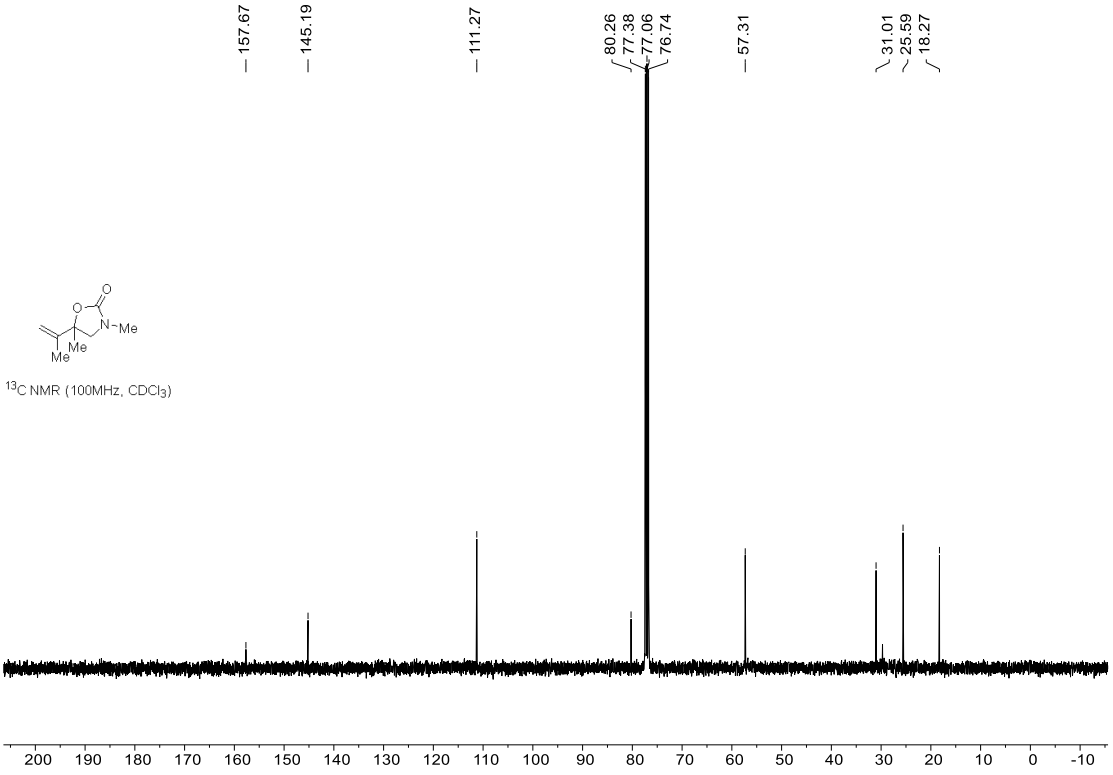


3,5-dimethyl-5-(prop-1-en-2-yl)oxazolidin-2-one (3i)



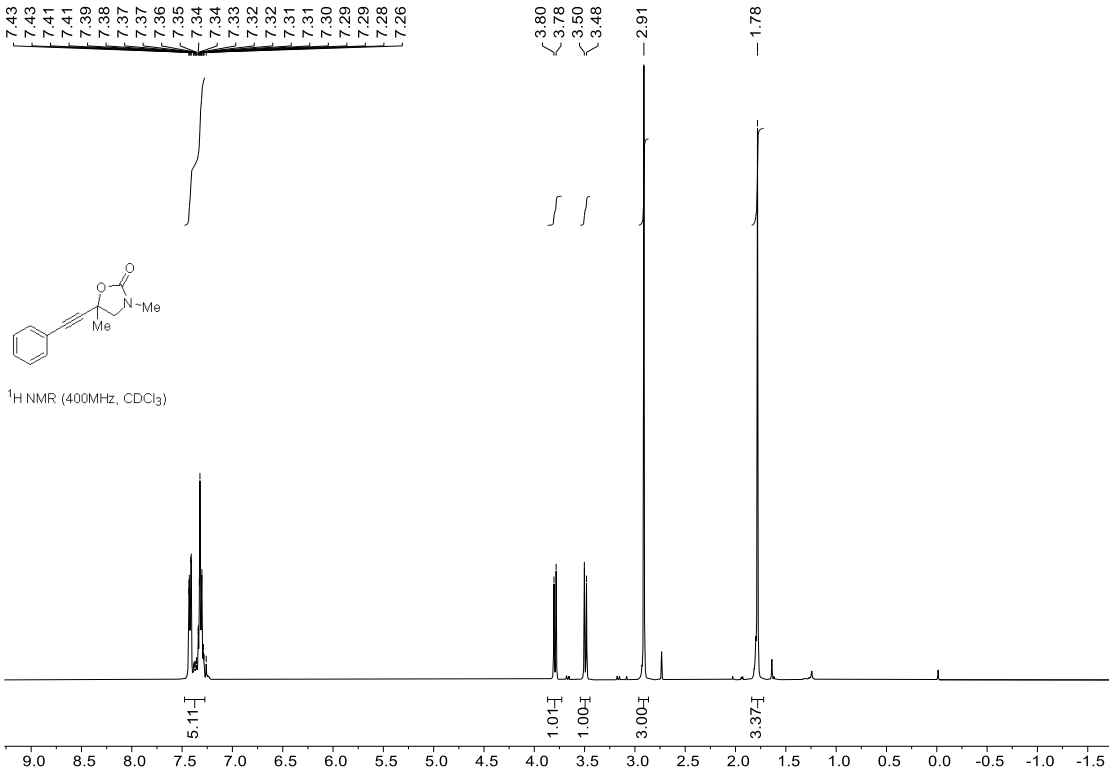
^{1}H NMR (400MHz, $CDCl_3$)

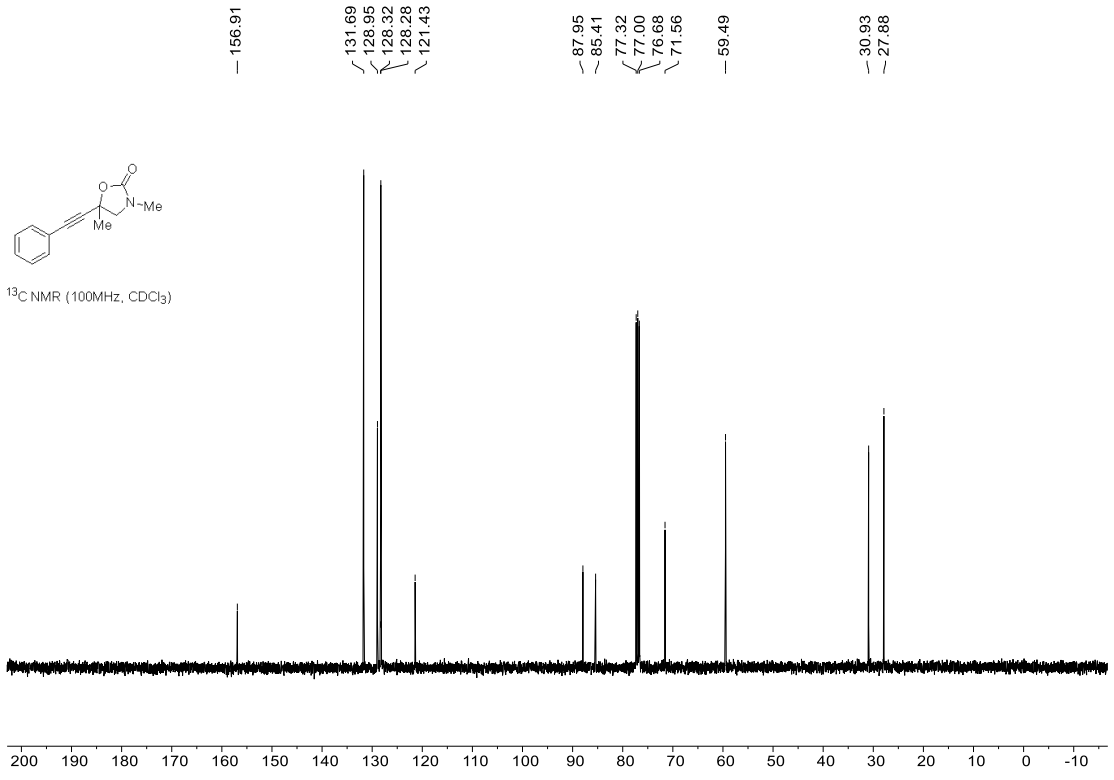




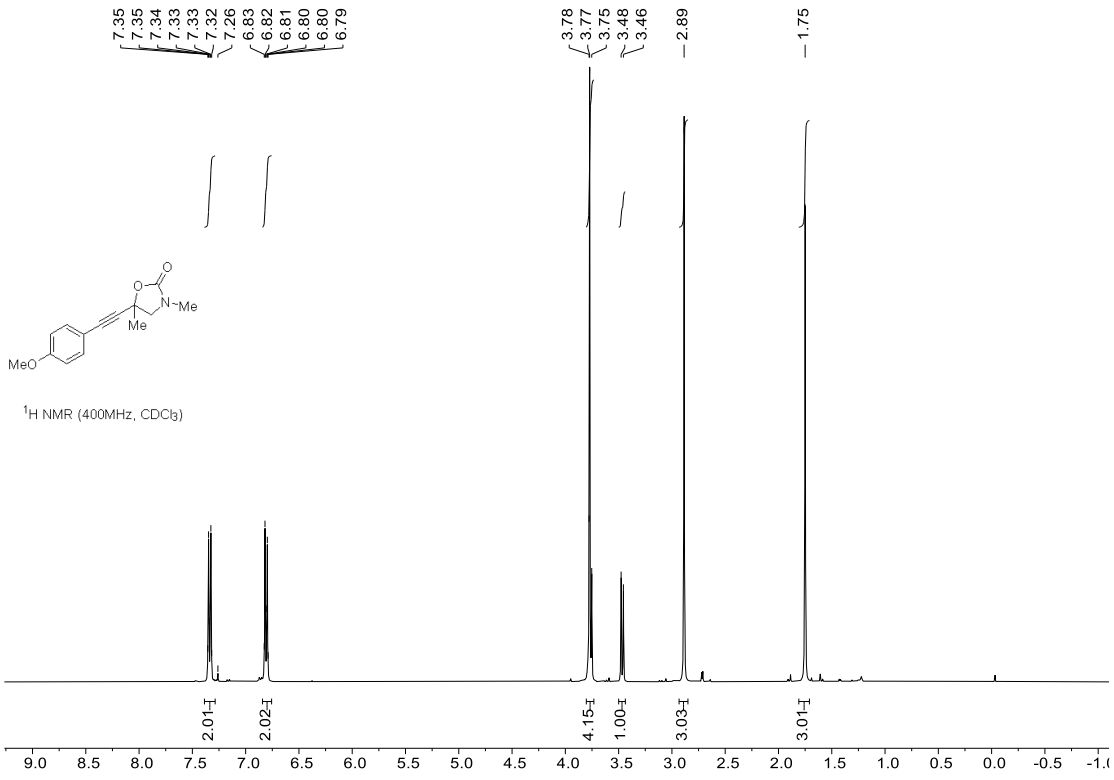
^{13}C NMR (100MHz, $CDCl_3$)

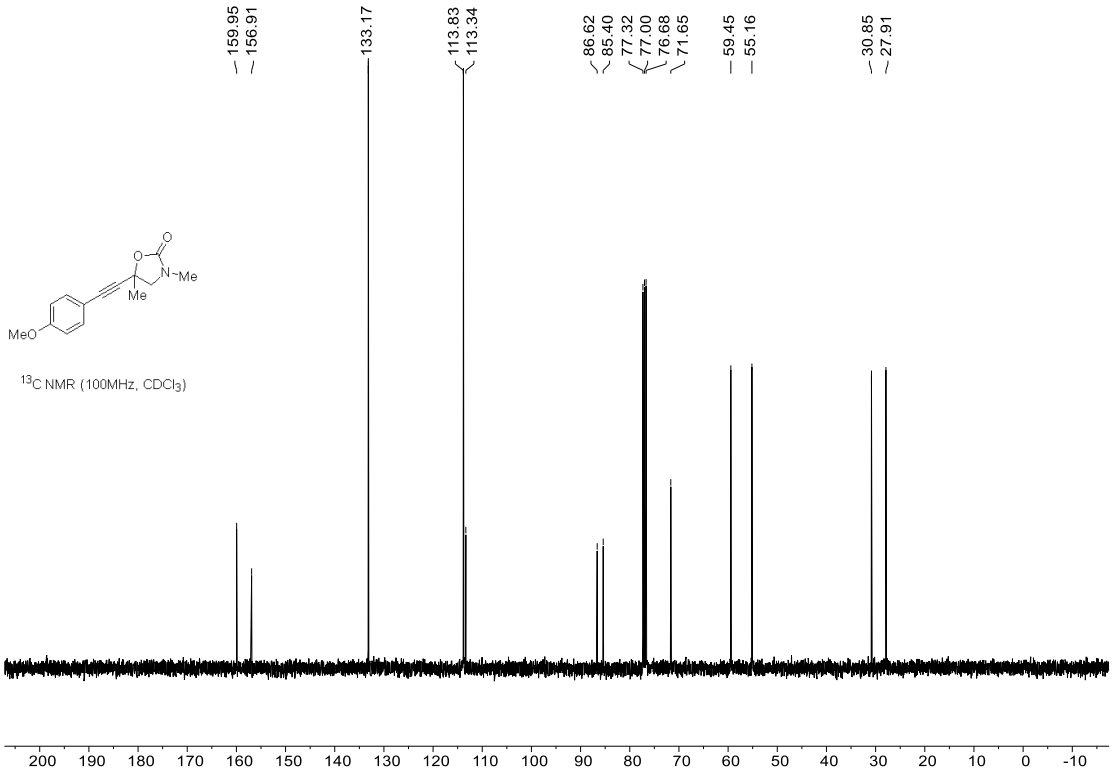
3,5-dimethyl-5-(phenylethynyl)oxazolidin-2-one (3j)



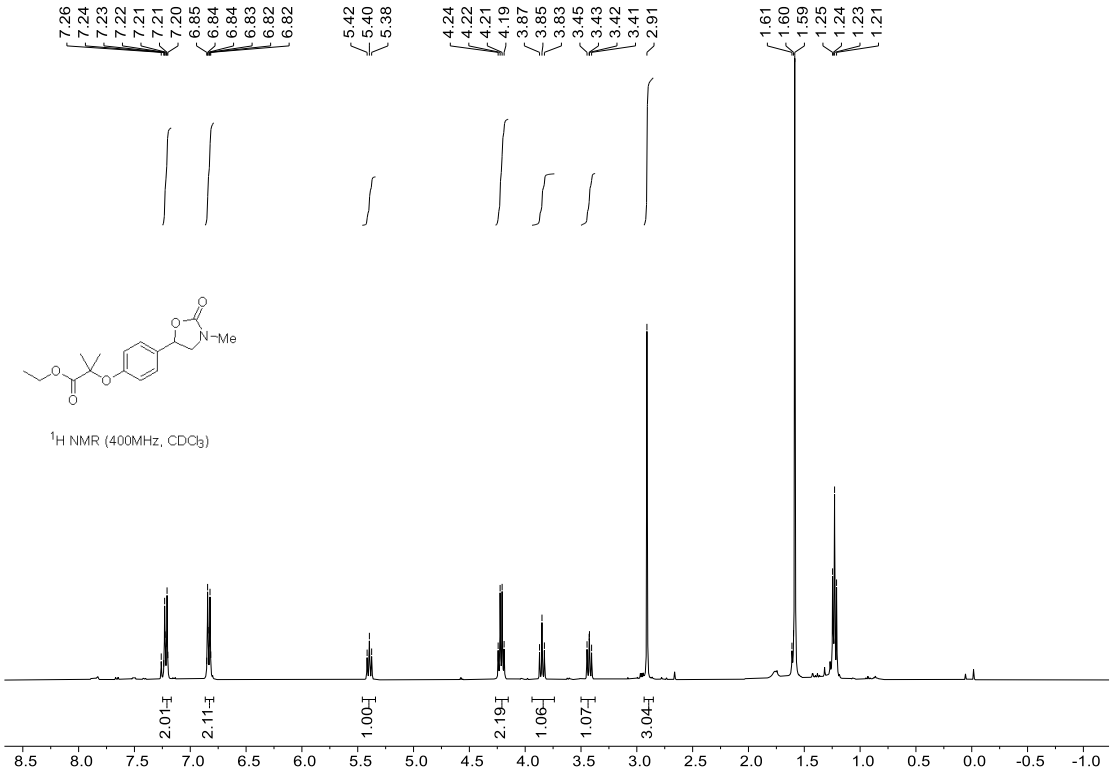


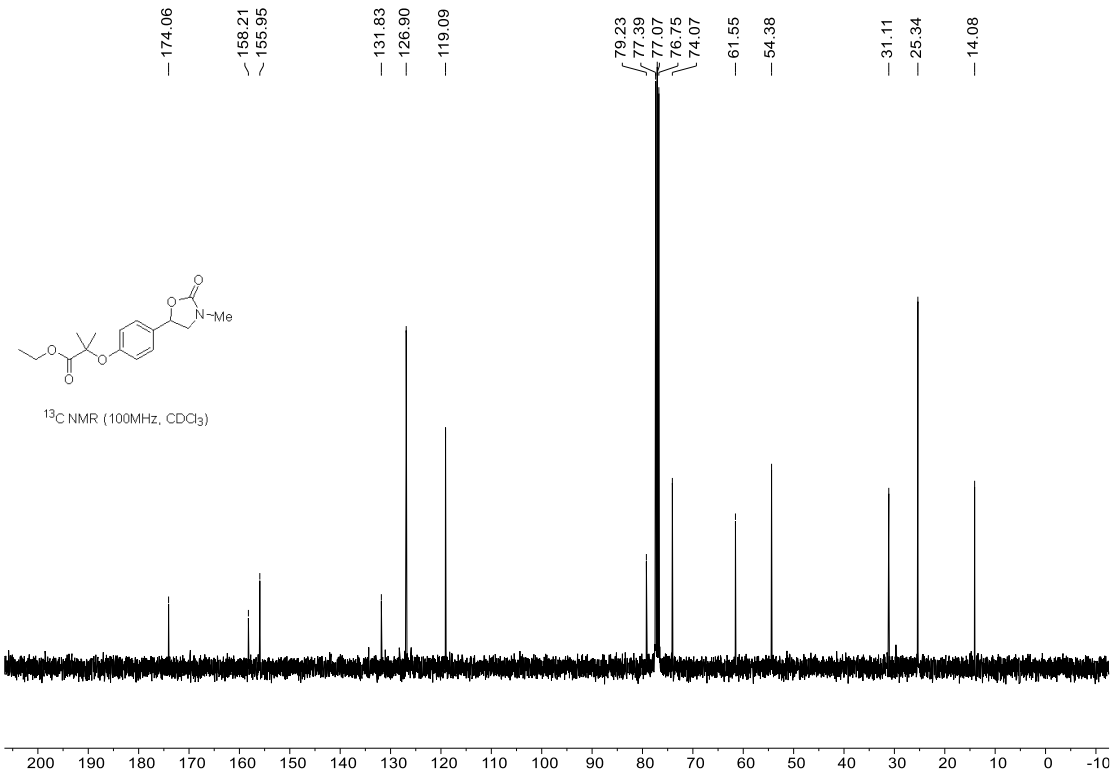
5-((4-methoxyphenyl)ethynyl)-3,5-dimethyloxazolidin-2-one (3k)



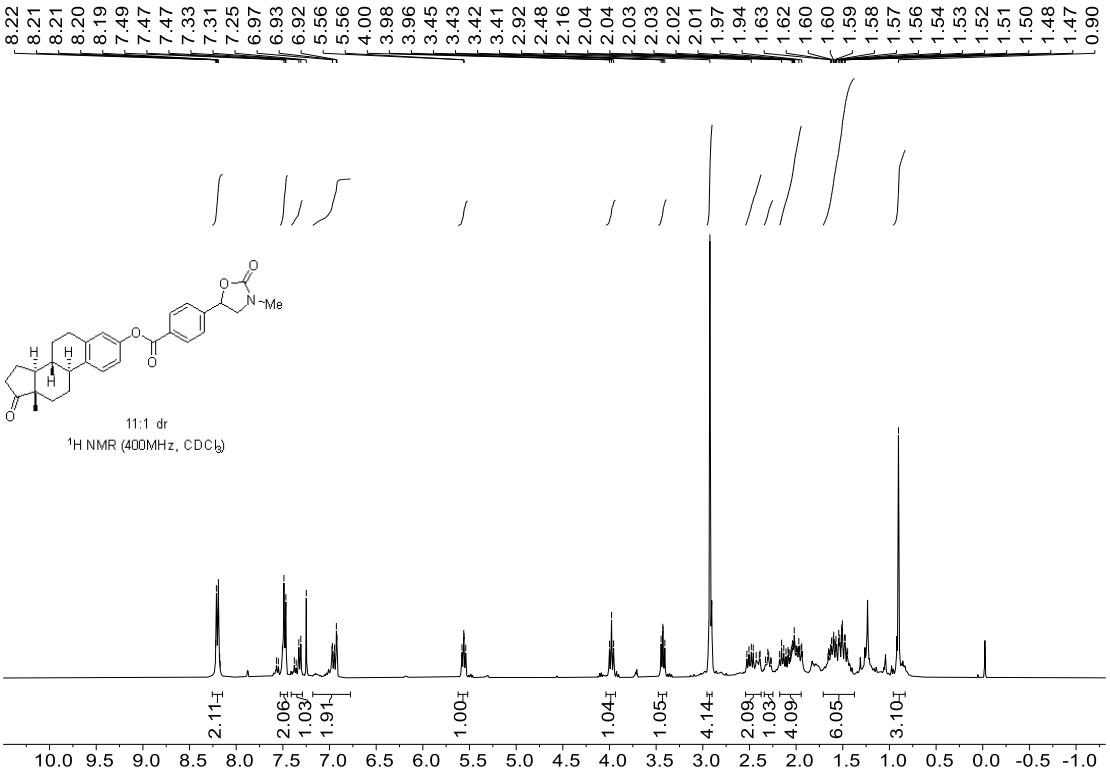


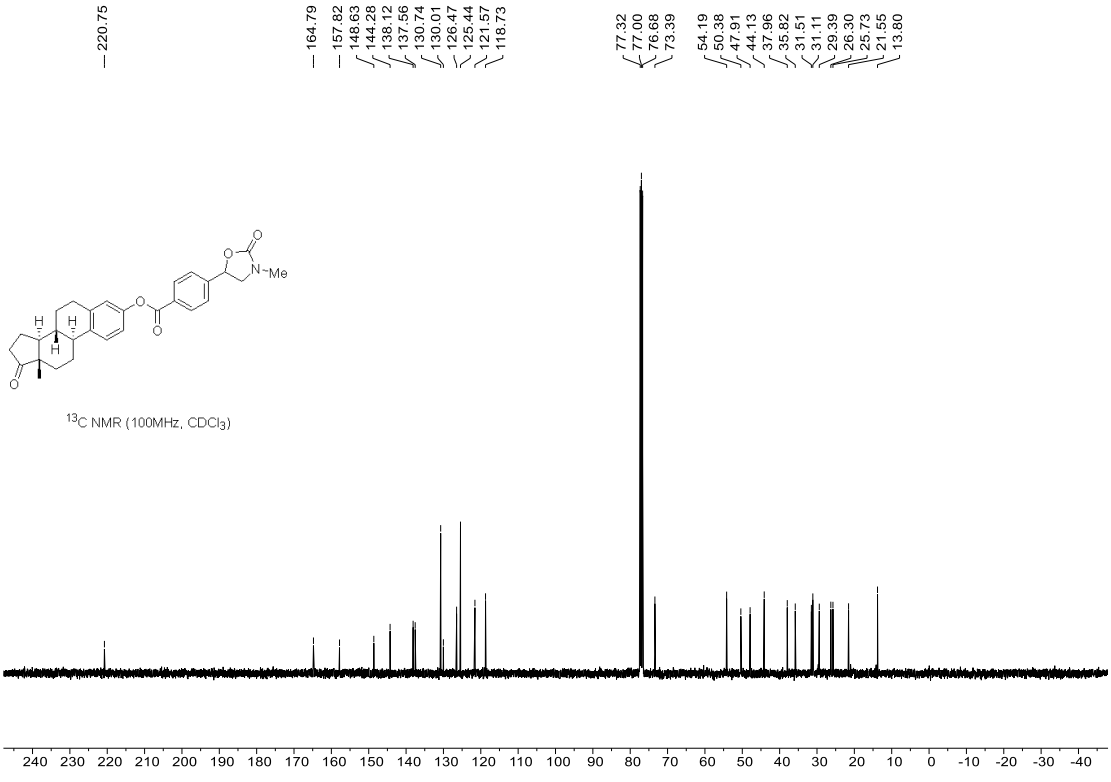
ethyl 2-methyl-2-(4-(3-methyl-2-oxooxazolidin-5-yl)phenoxy)propanoate (3l)



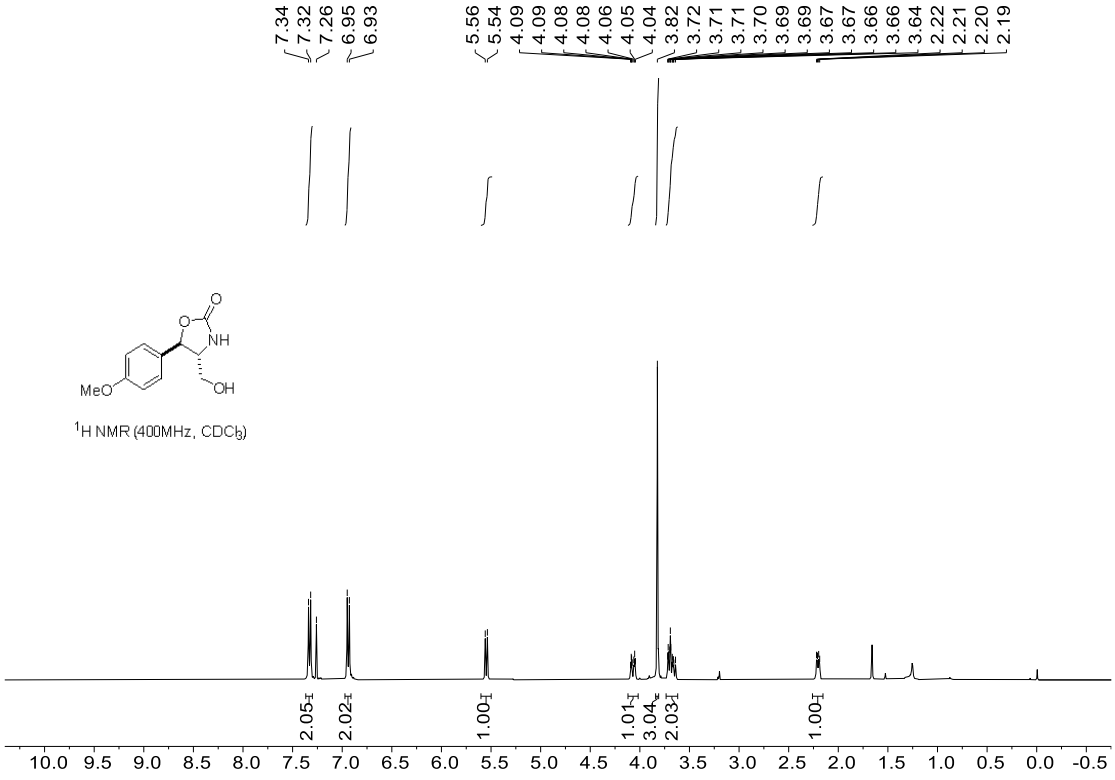


***(8R,9S,13S,14S)*-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl 4-(3-methyl-2-oxooxazolidin-5-yl)benzoate (3m)**

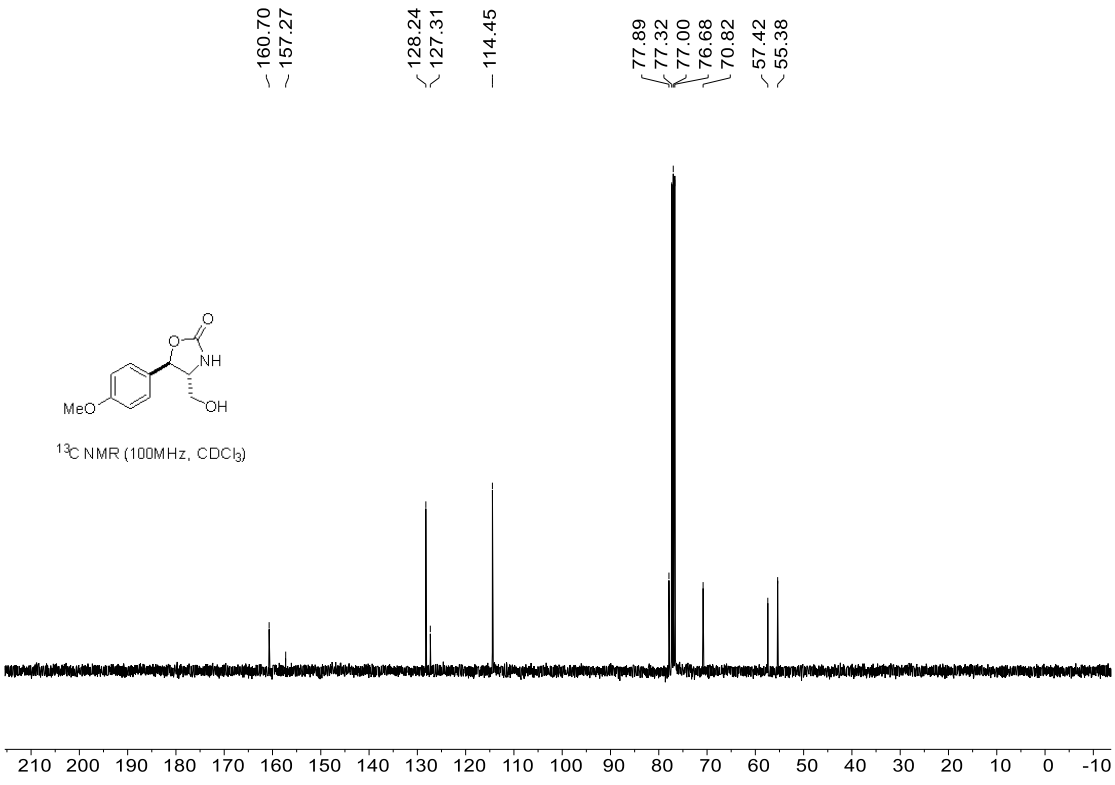




4-(hydroxymethyl)-5-(4-methoxyphenyl)-3-methyloxazolidin-2-one (3n)

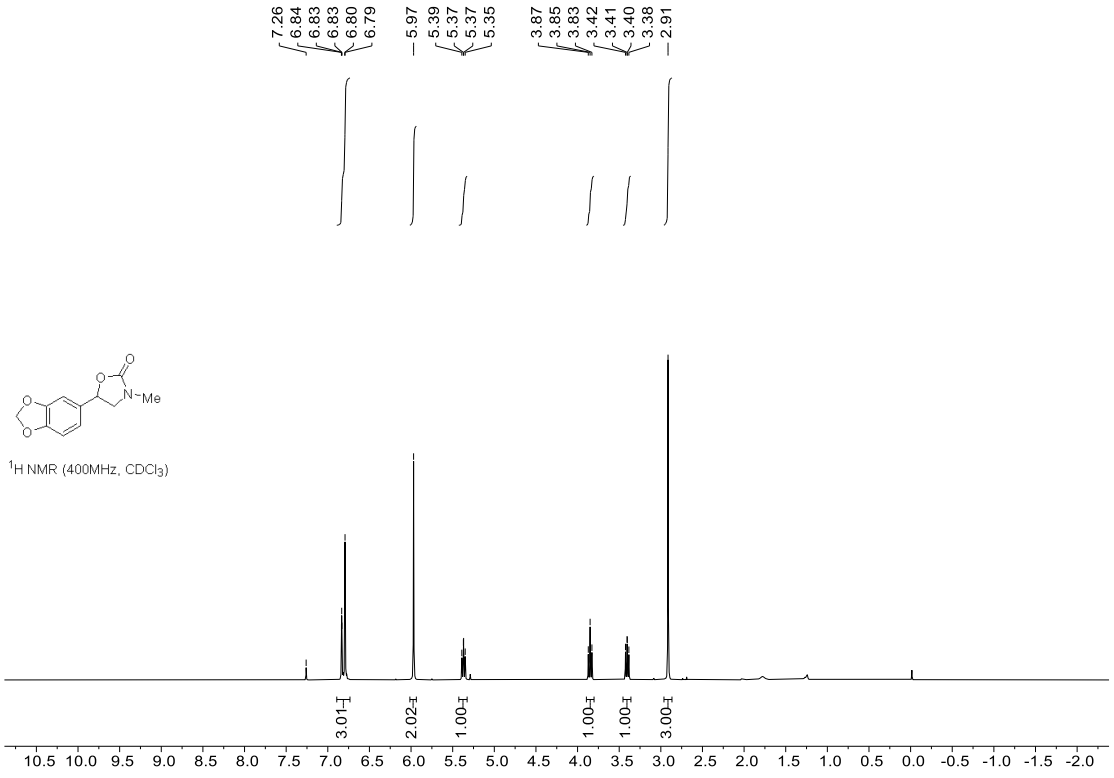


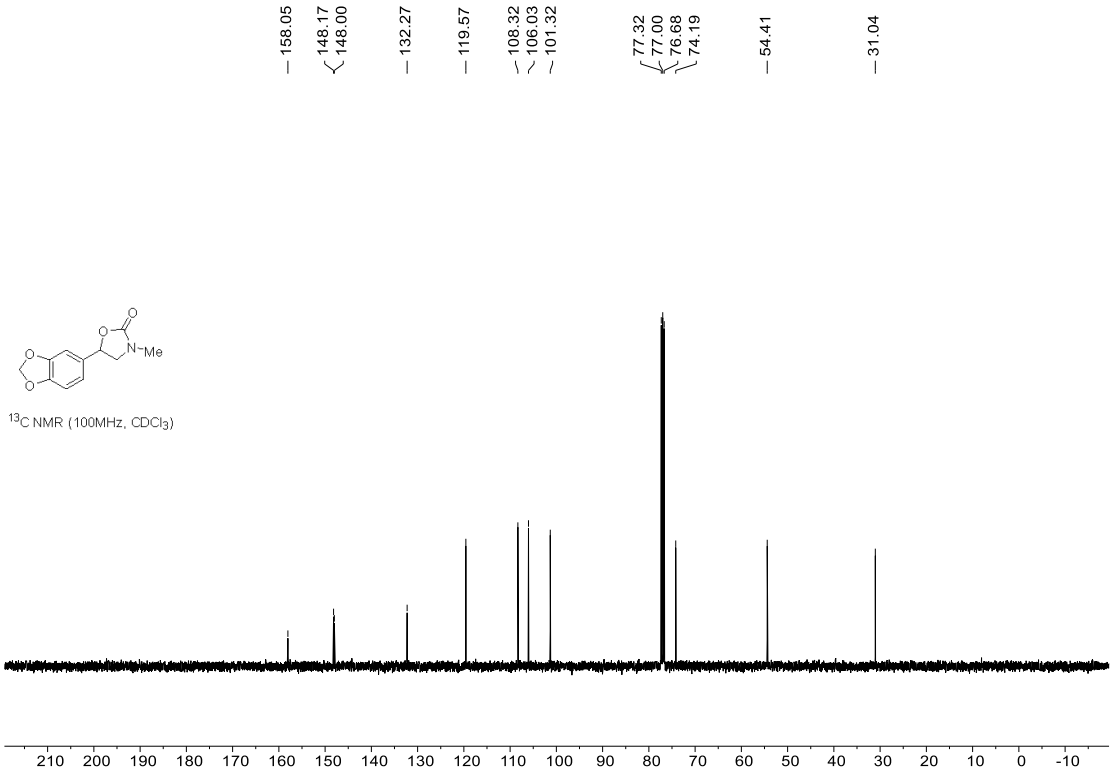
^{1}H NMR (400MHz, $CDCl_3$)



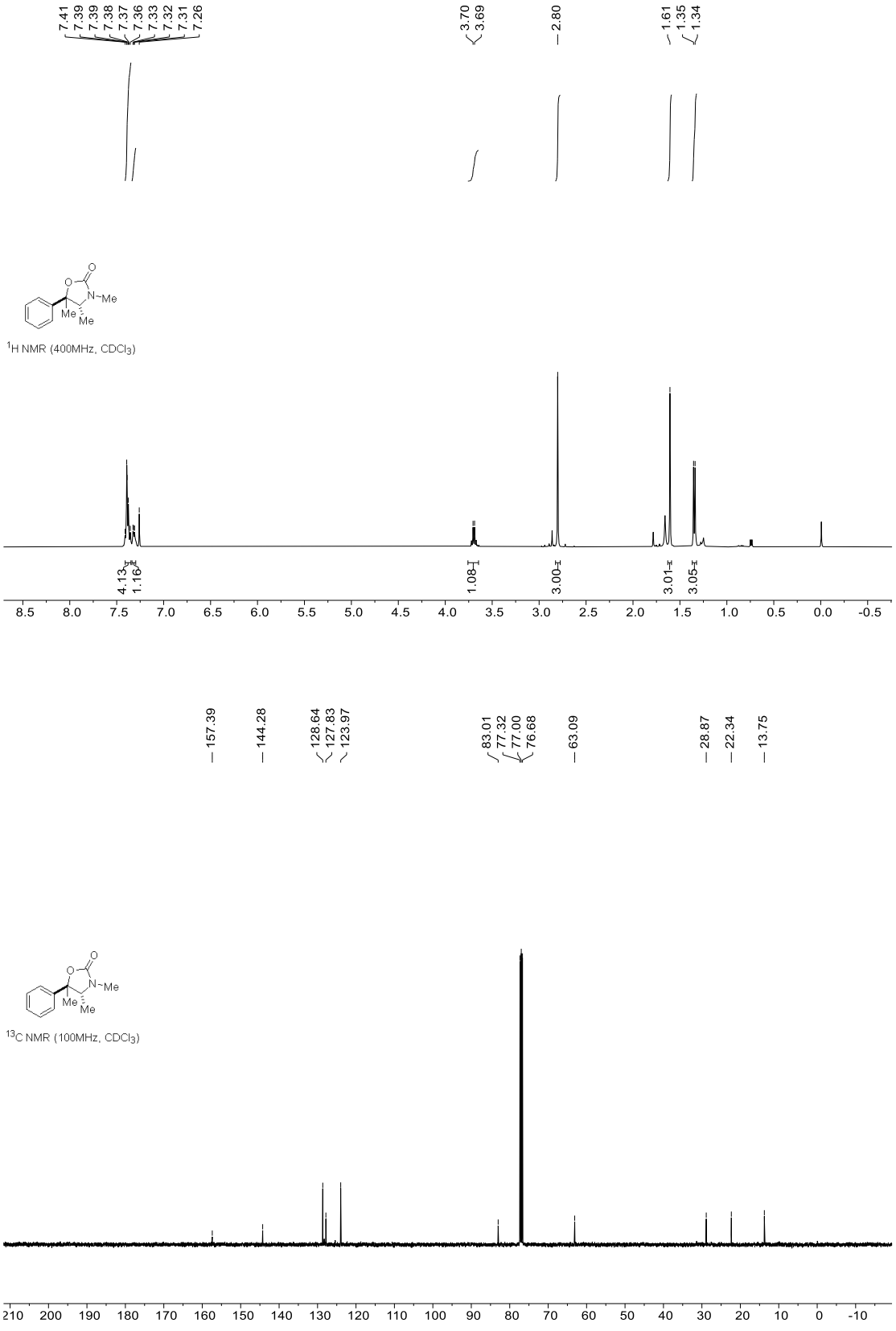
^{13}C NMR (100MHz, $CDCl_3$)

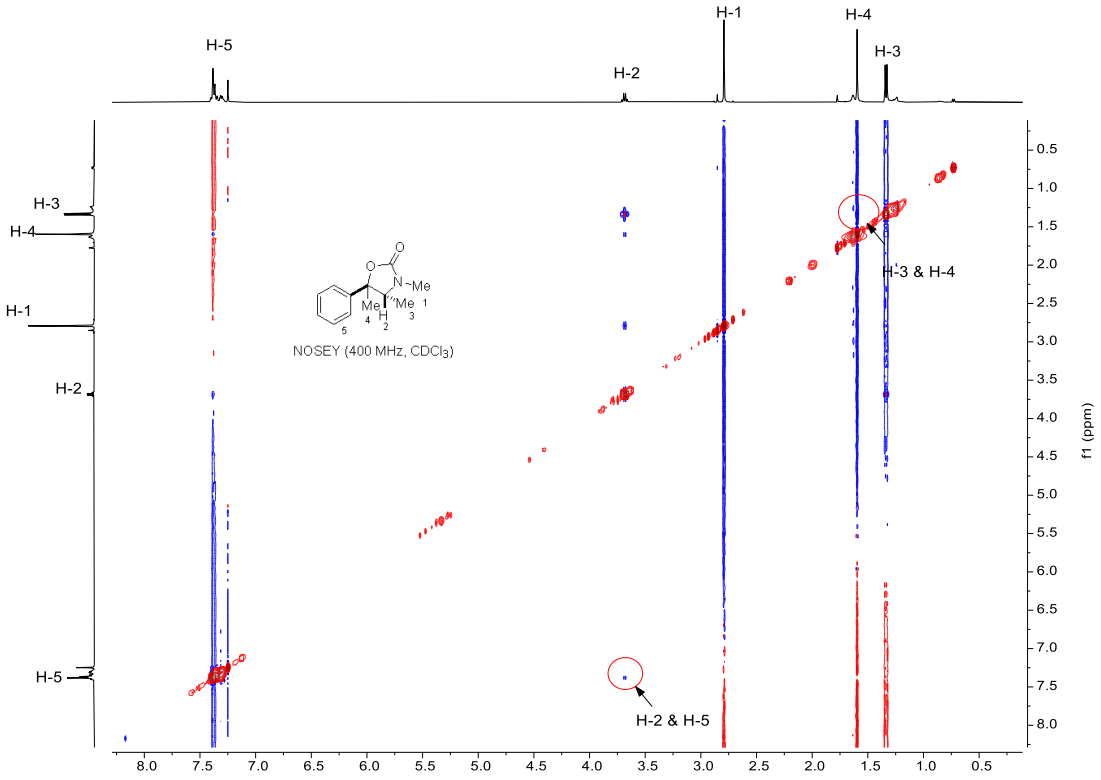
5-(benzo[d][1,3]dioxol-5-yl)-3,5-dimethyloxazolidin-2-one (3o)

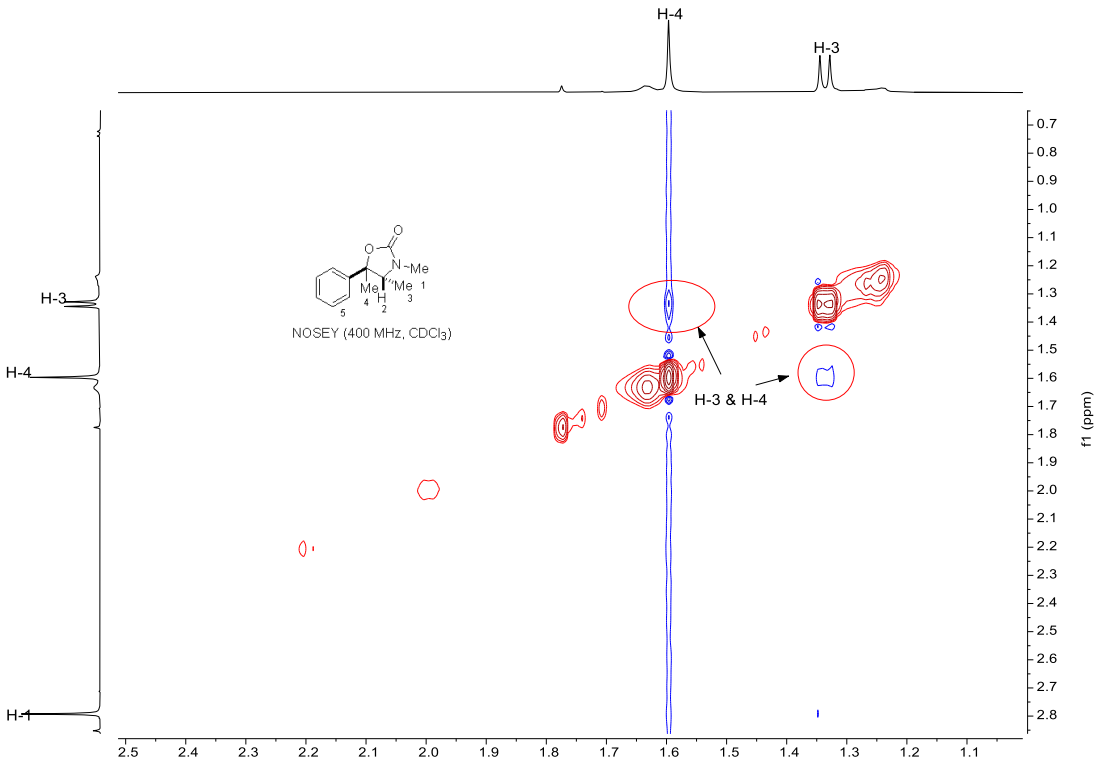




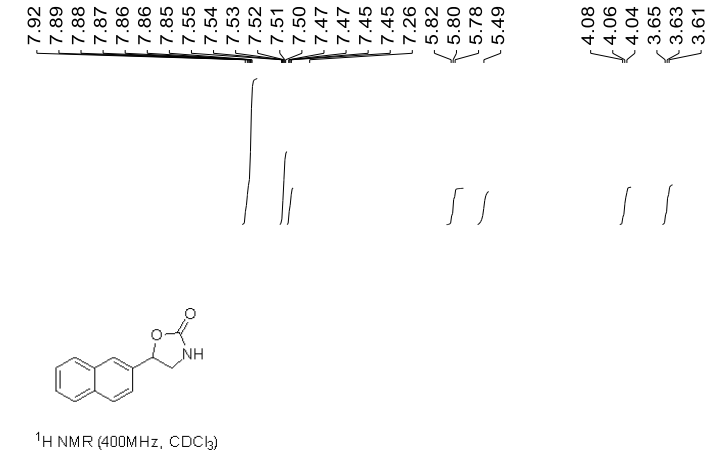
3,4,5-trimethyl-5-phenyloxazolidin-2-one (3p)



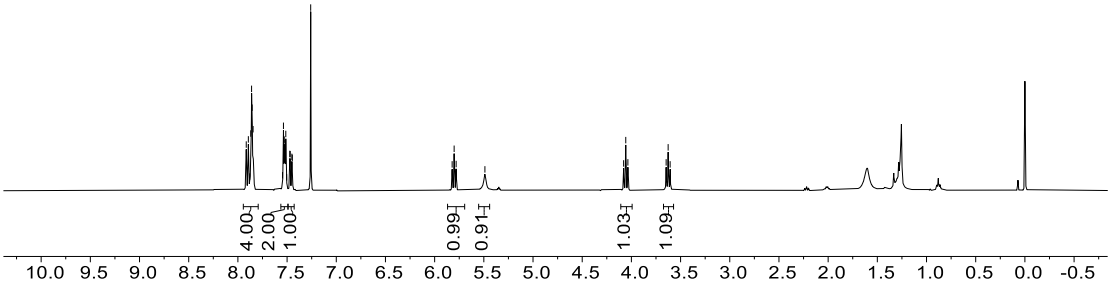

H-5
H-2
H-1
H-4
H-3
H-3
H-4
H-1
H-2
H-5
NOSEY (400 MHz, CDCl3)
H-3 & H-4
H-2 & H-5
f1 (ppm)

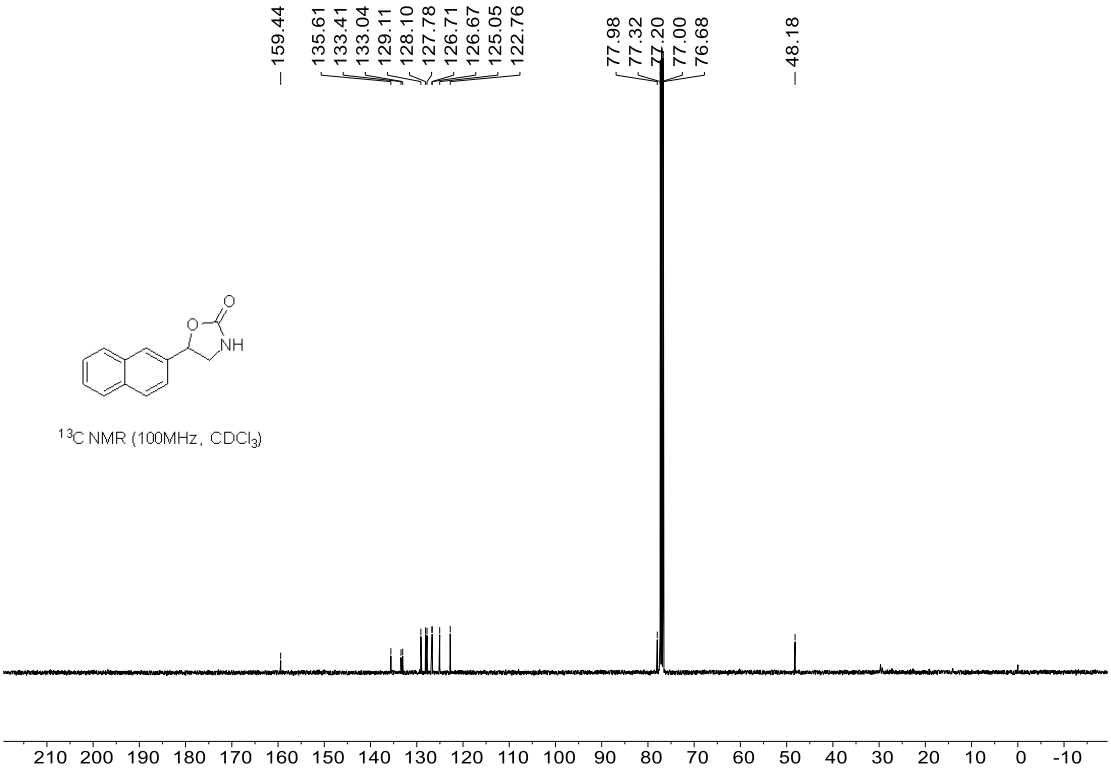

H-4
H-3
H-3
H-4
H-1
NOSEY (400 MHz, CDCl3)
H-3 & H-4
f1 (ppm)

5-(naphthalen-2-yl)oxazolidin-2-one (3ab)



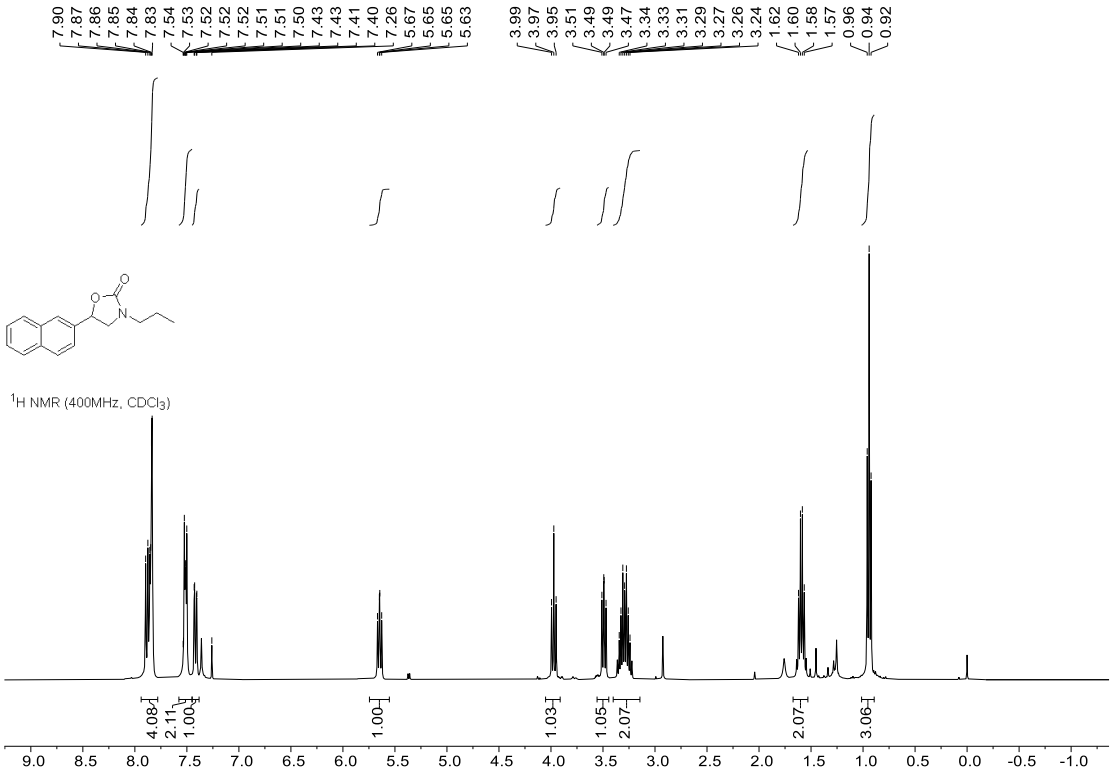
^{1}H NMR (400MHz, $CDCl_3$)

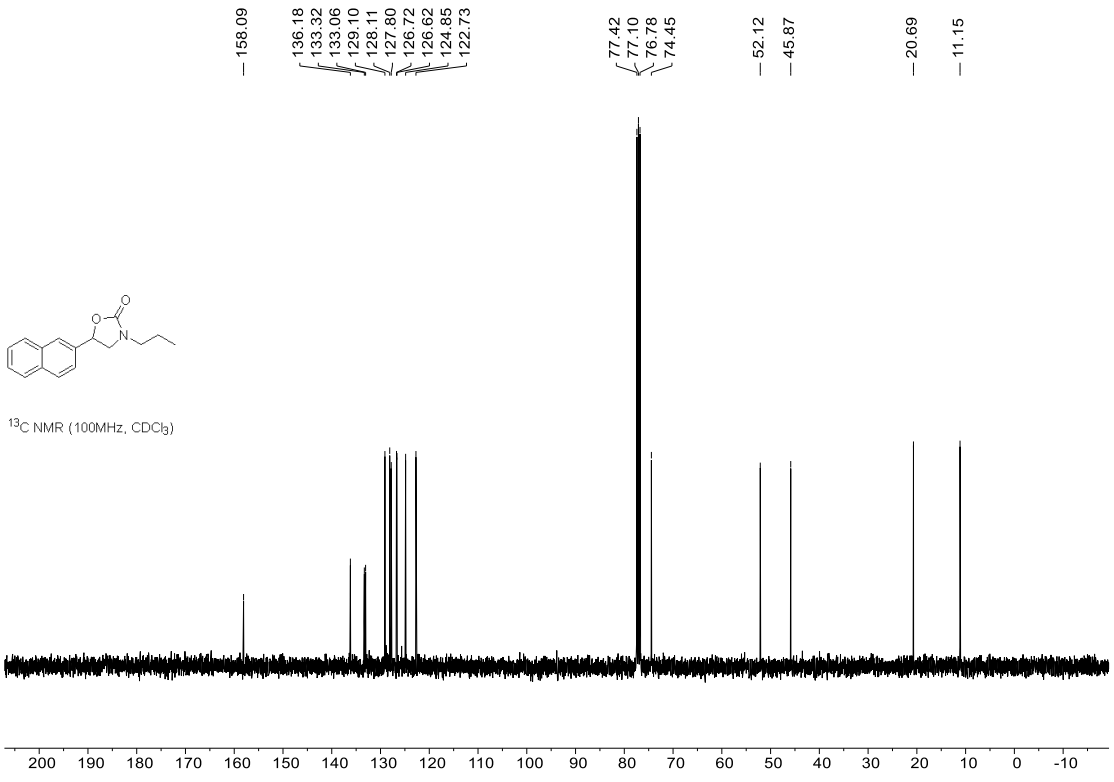




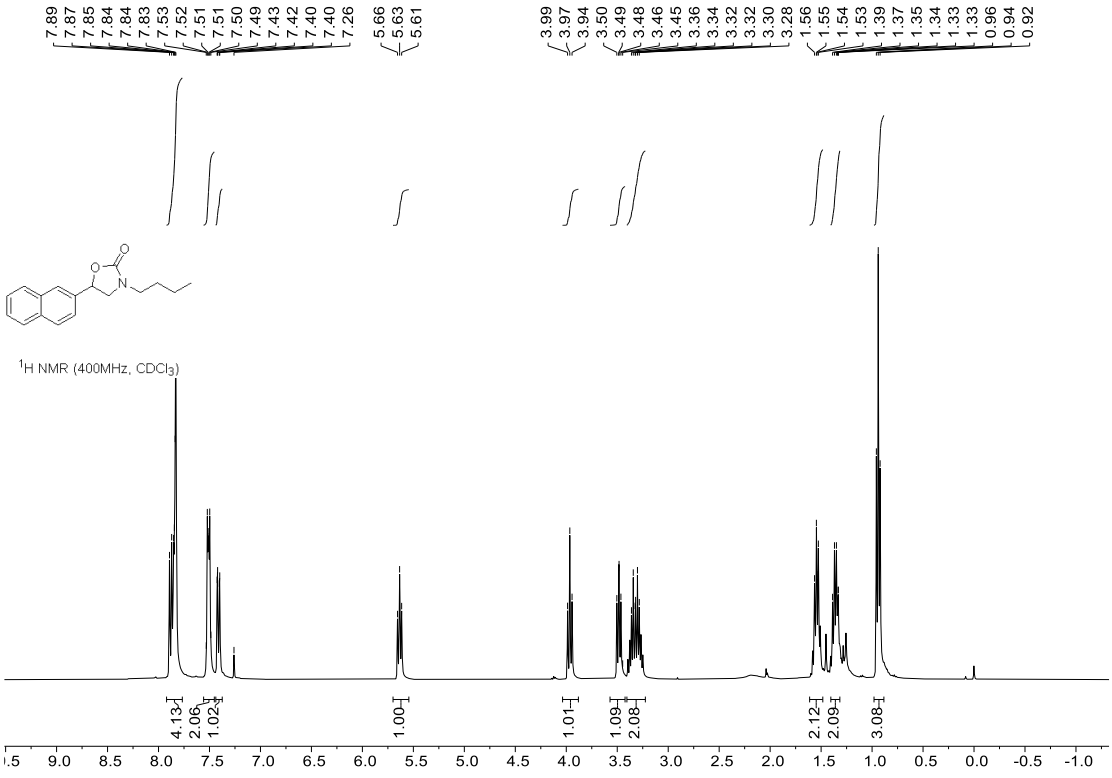
^{13}C NMR (100MHz, $CDCl_3$)

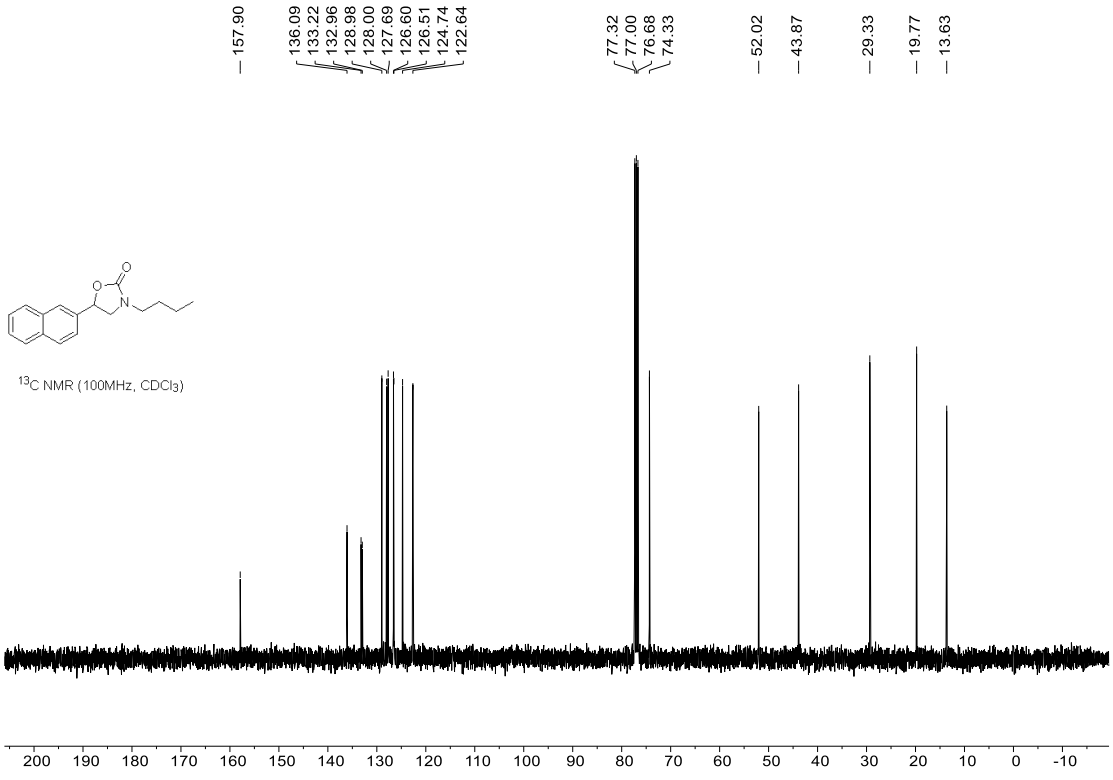
5-(naphthalen-2-yl)-3-propyloxazolidin-2-one (3ac)



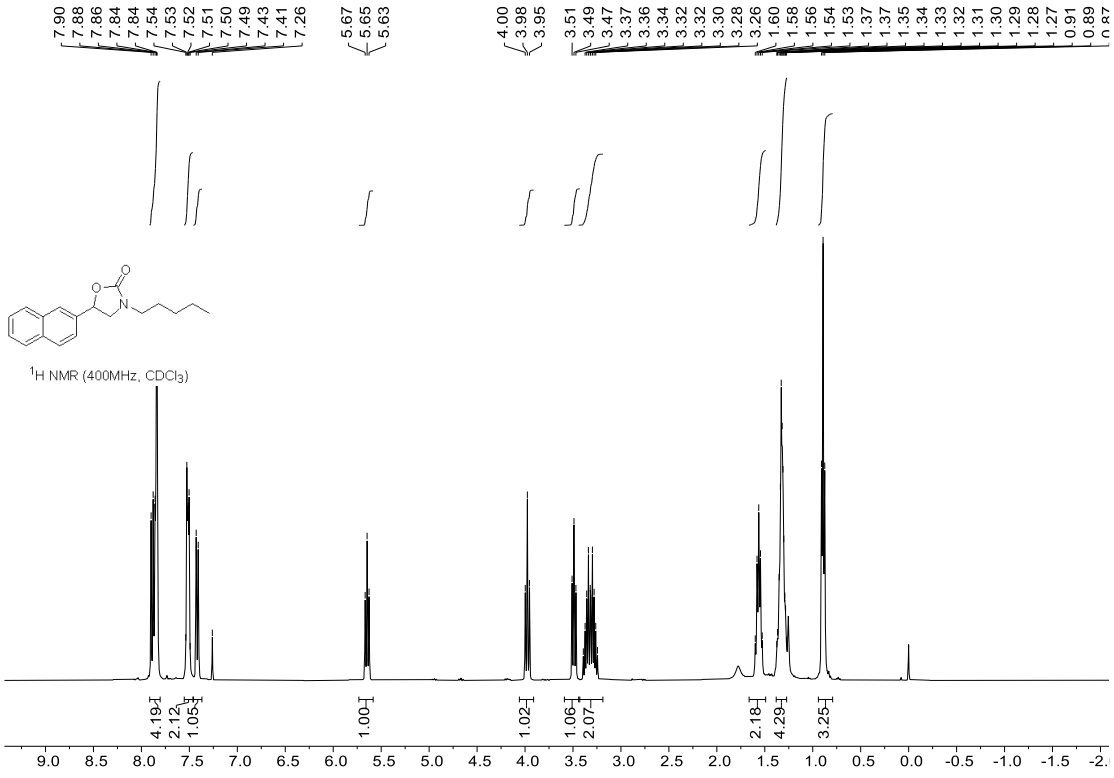


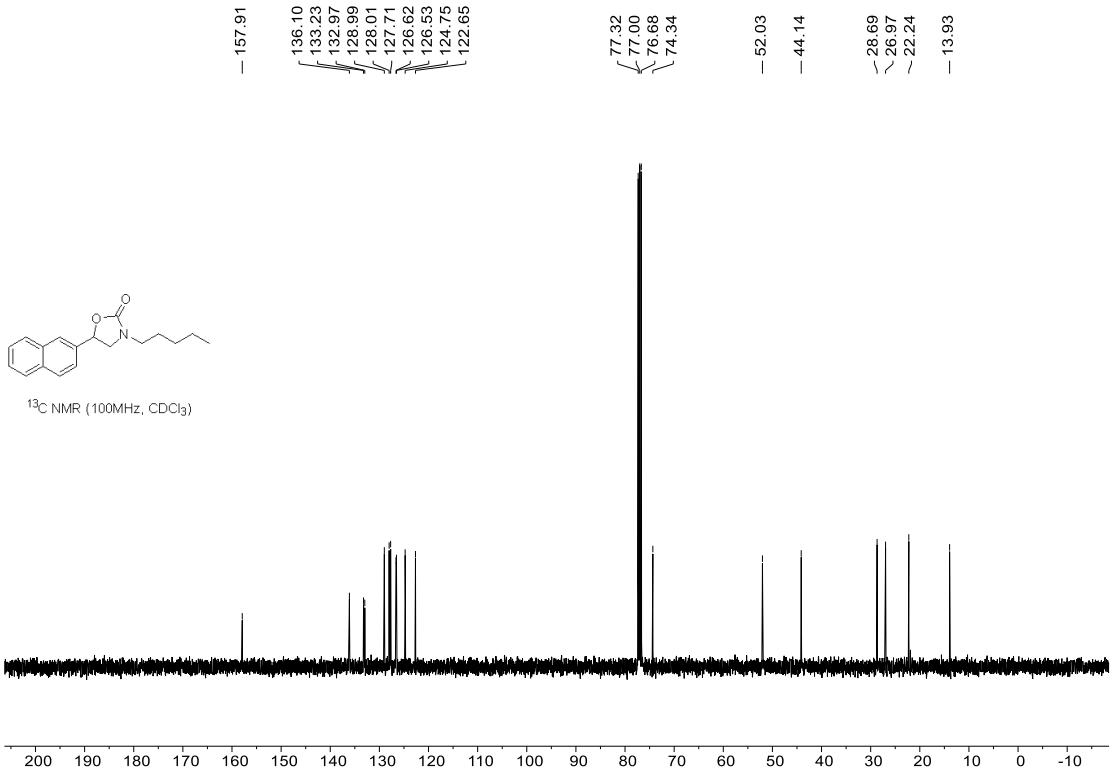
3-butyl-5-(naphthalen-2-yl)oxazolidin-2-one (3ad)



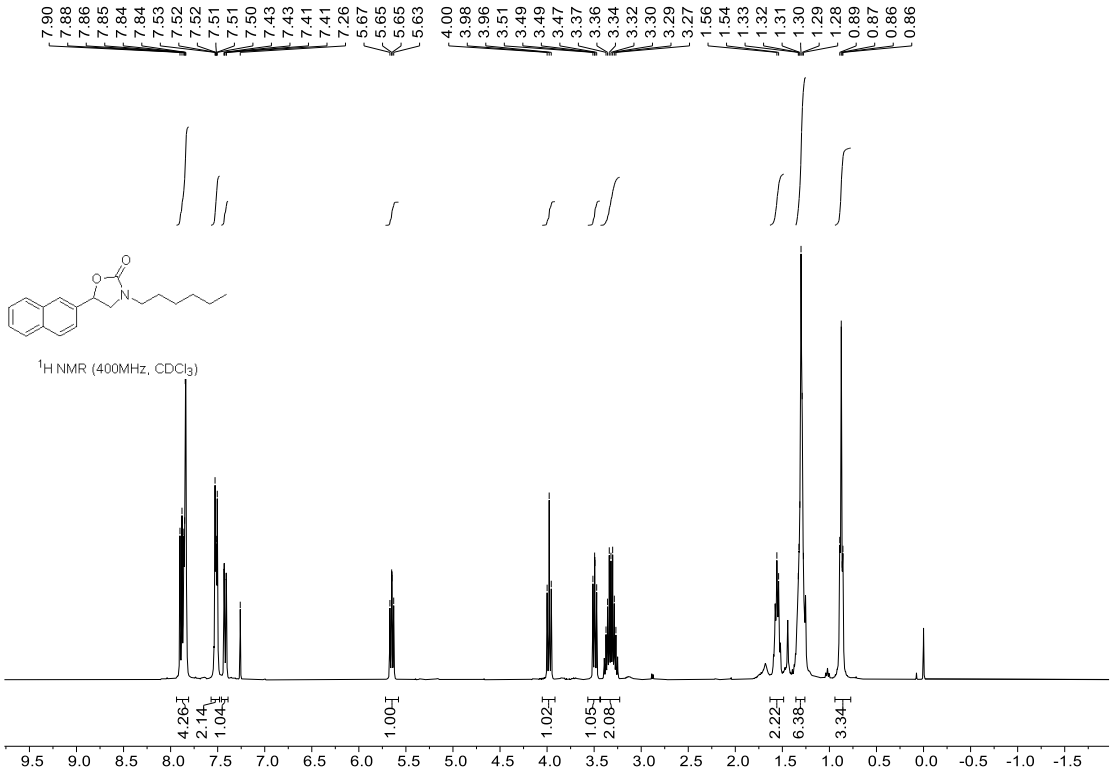


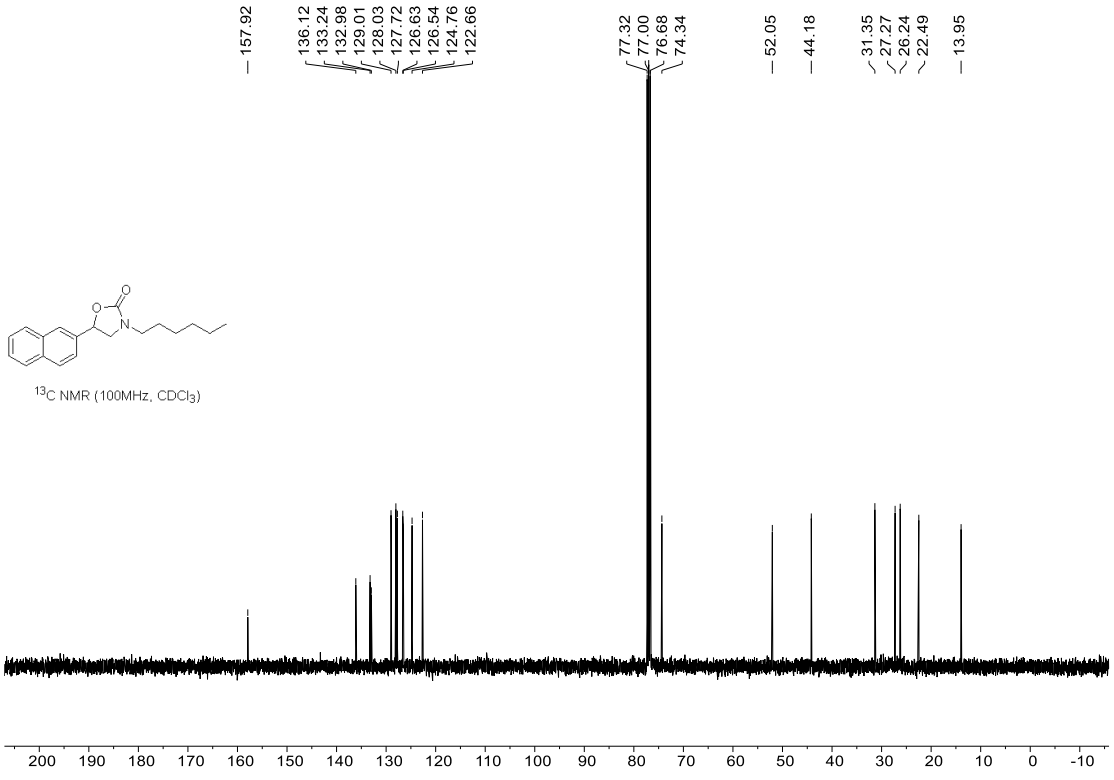
5-(naphthalen-2-yl)-3-pentyloxazolidin-2-one (3ae)



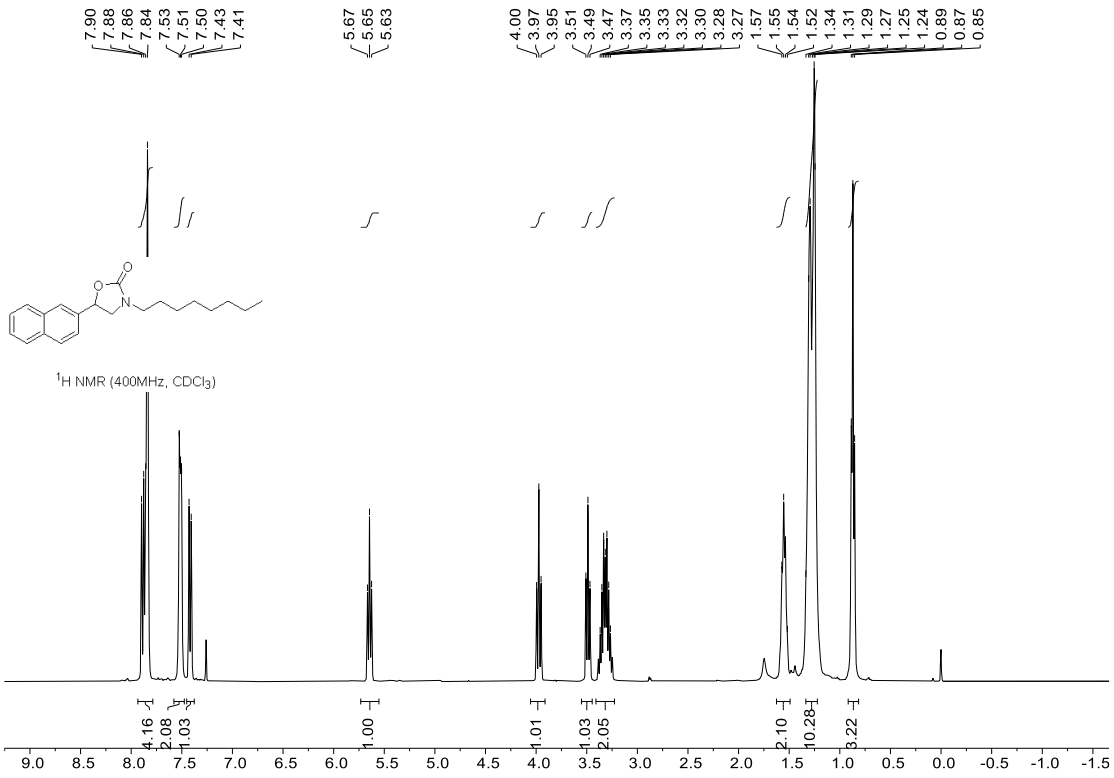


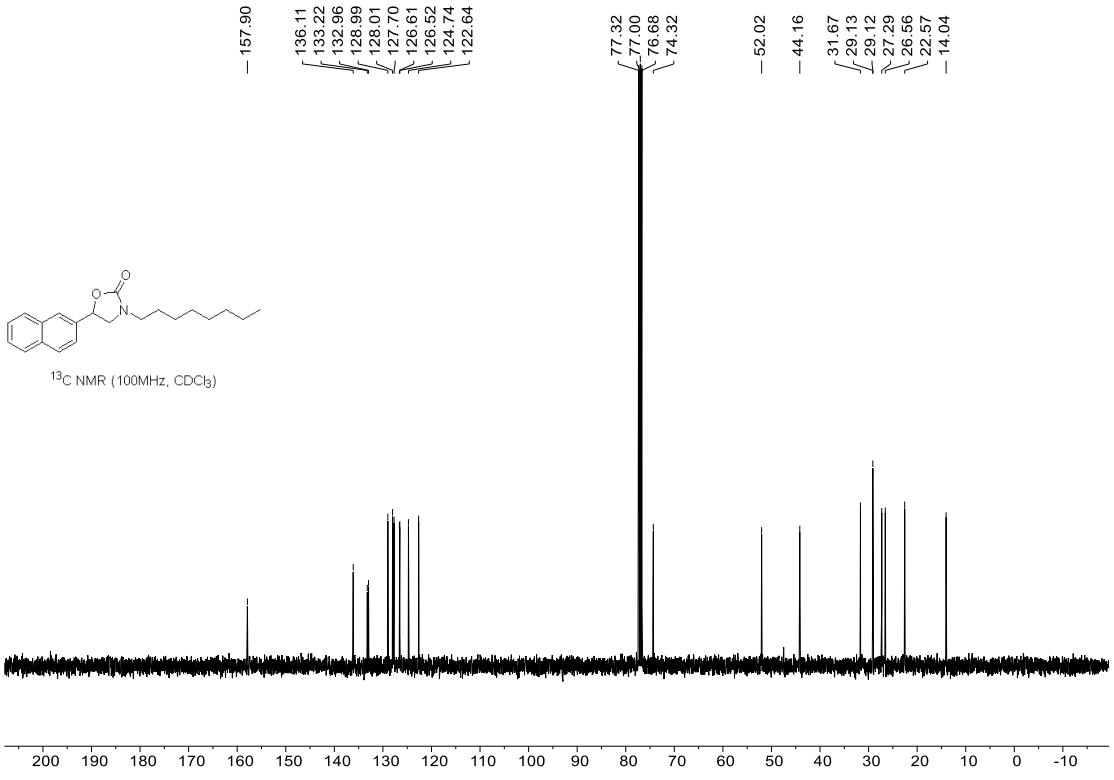
3-hexyl-5-(naphthalen-2-yl)oxazolidin-2-one (3af)



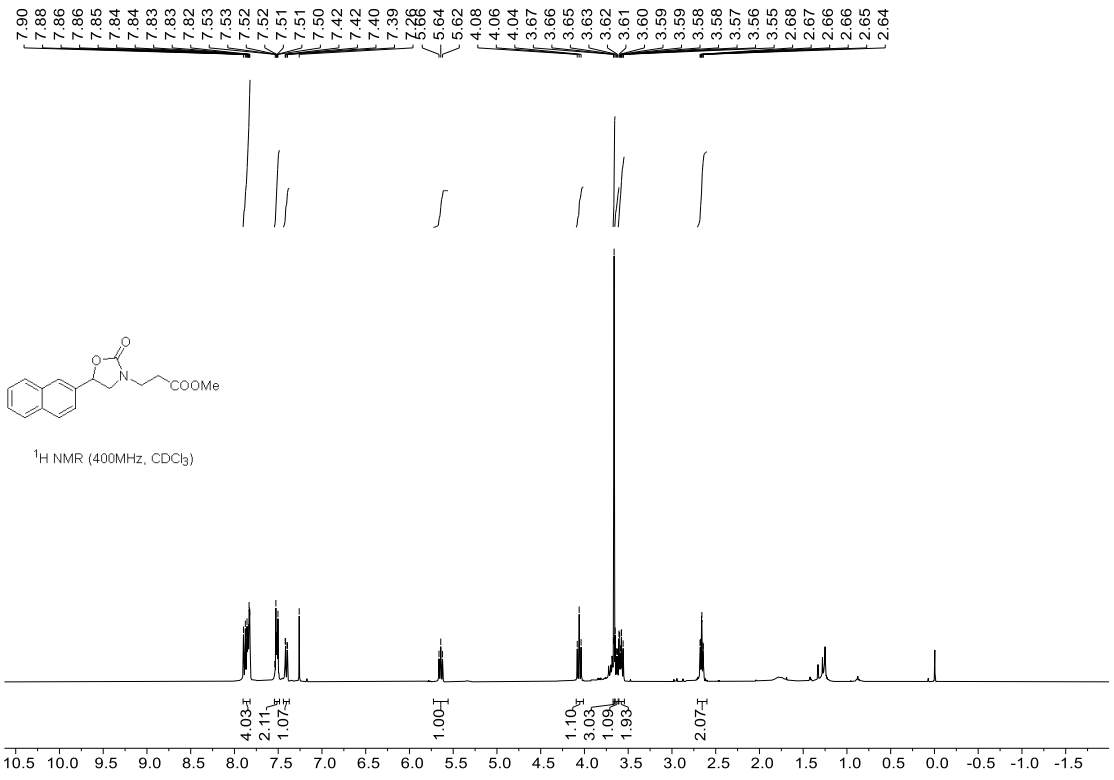


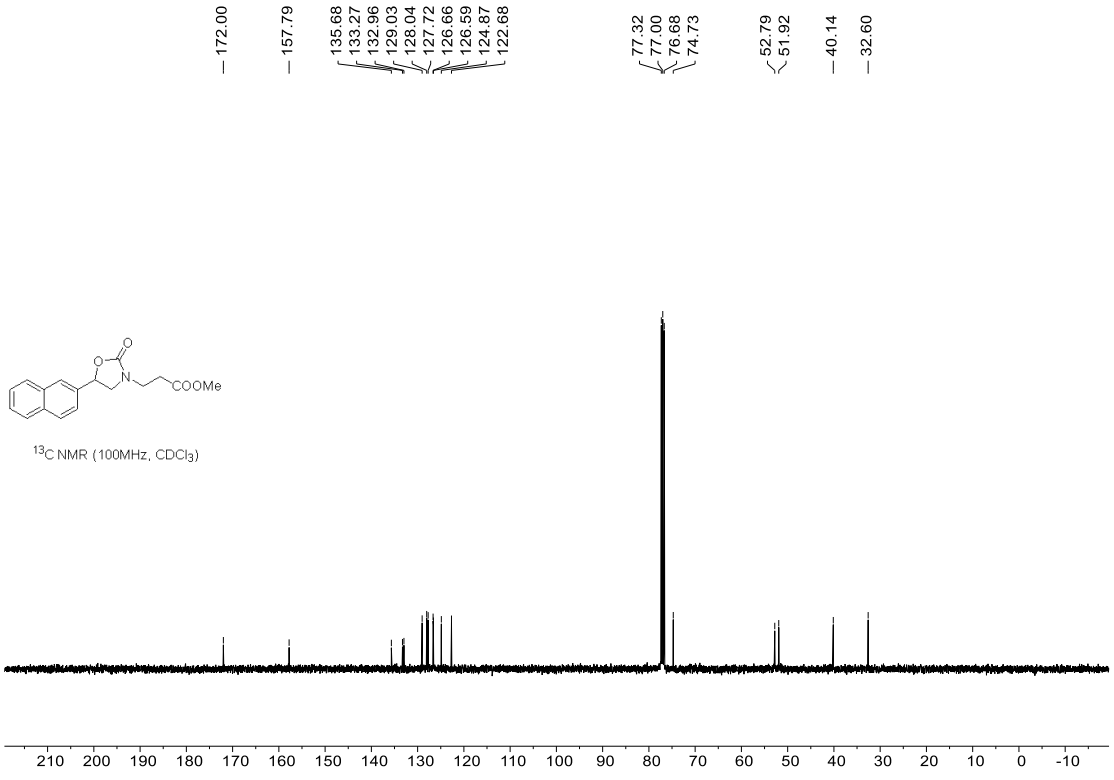
5-(naphthalen-2-yl)-3-octyloxazolidin-2-one (3ag)



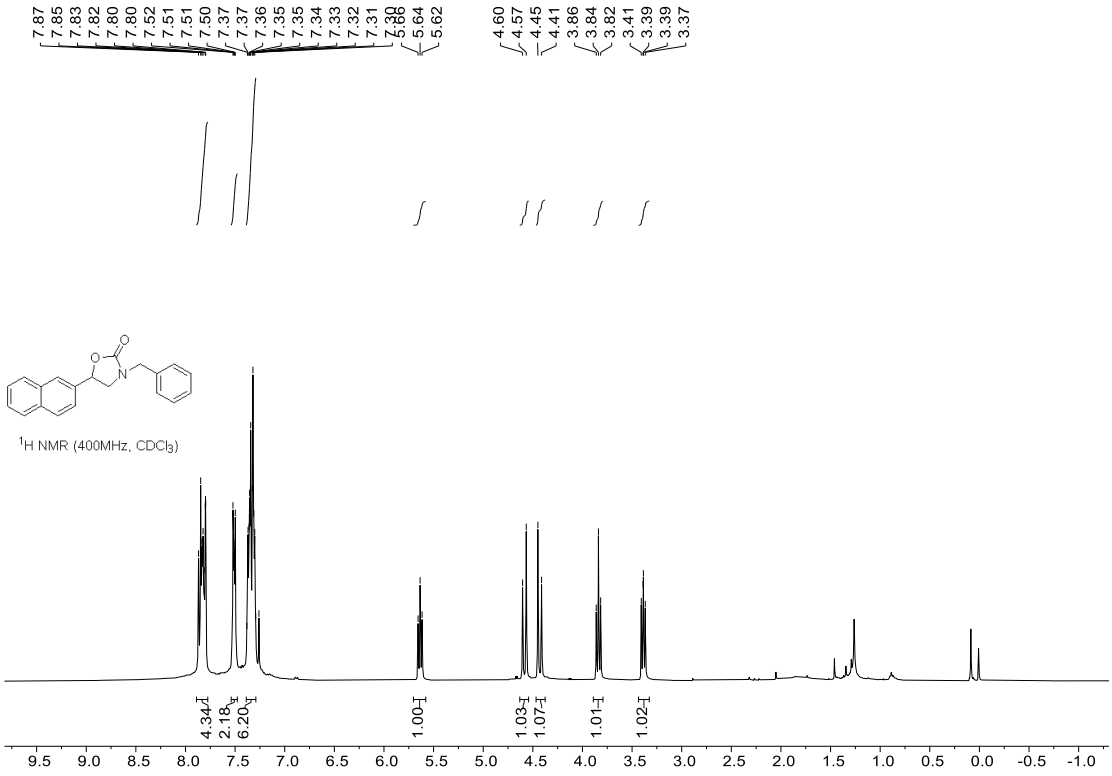


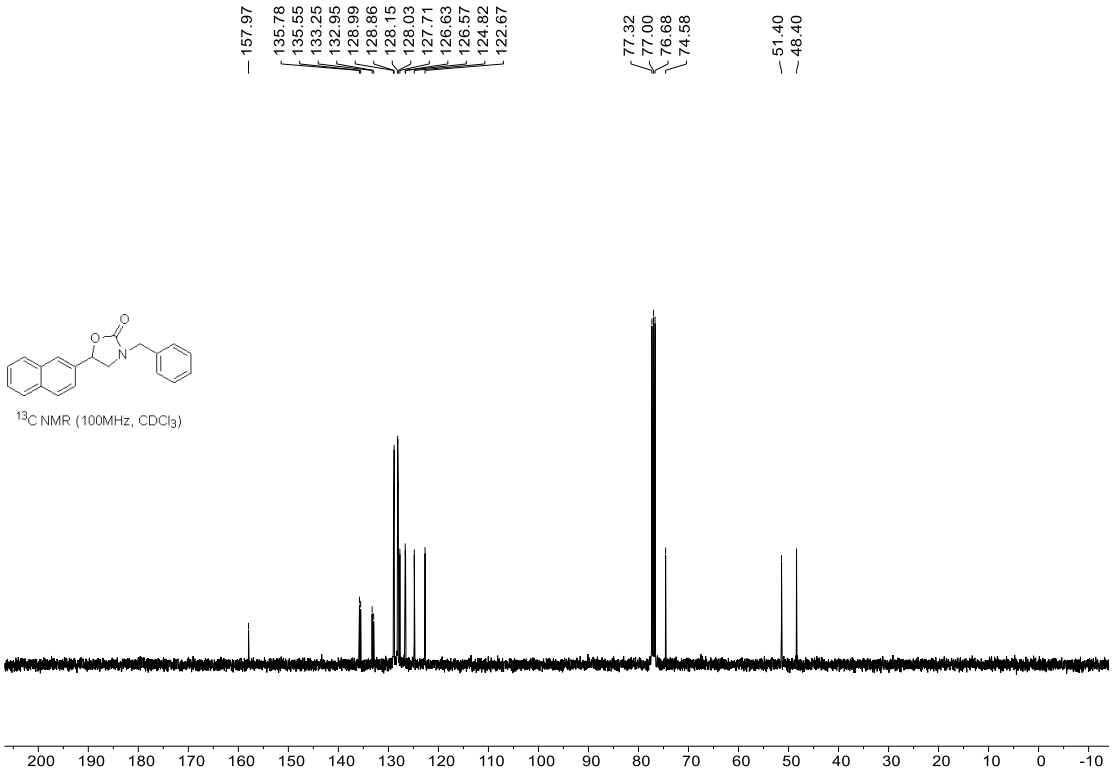
methyl 3-(5-(naphthalen-2-yl)-2-oxooxazolidin-3-yl)propanoate (3ah)



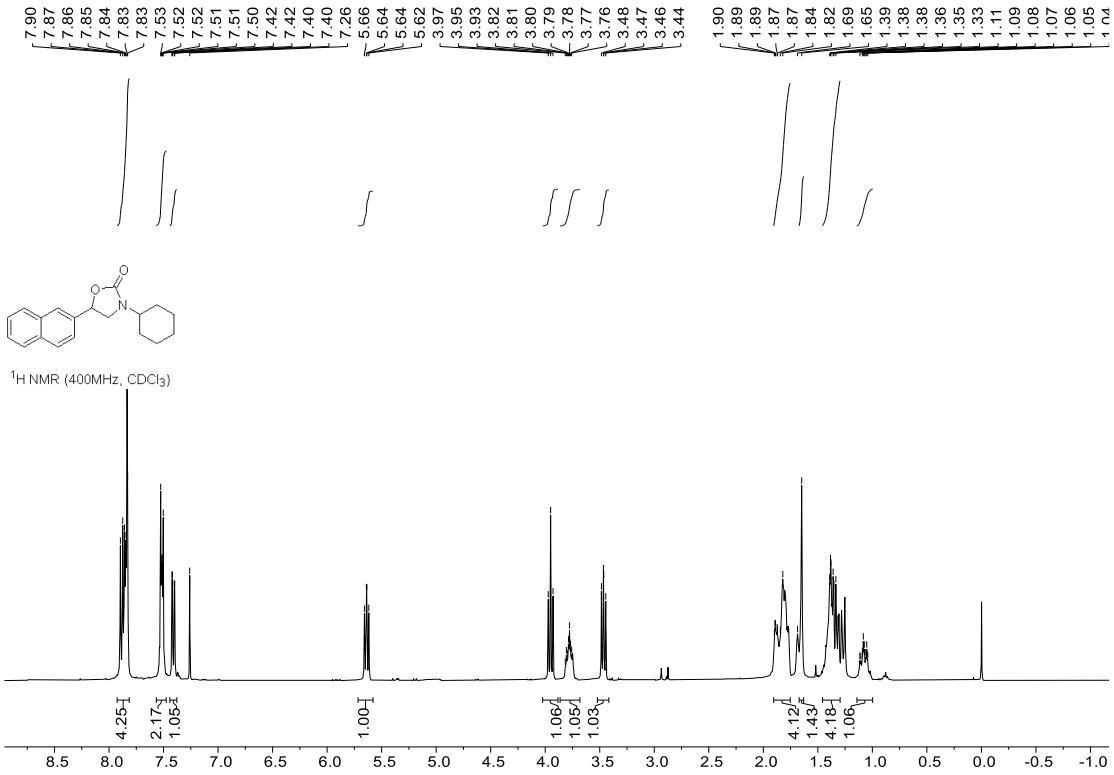


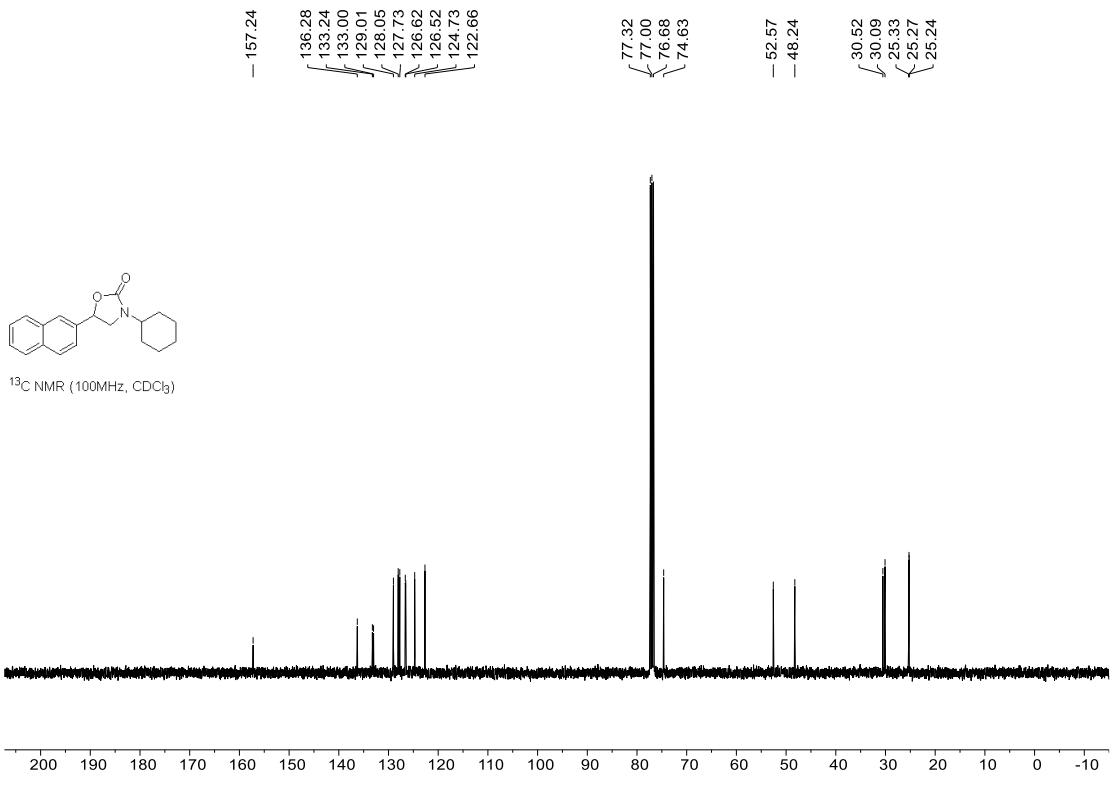
3-benzyl-5-(naphthalen-2-yl)oxazolidin-2-one (3ai)



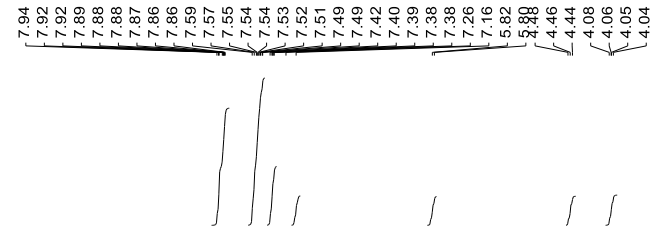


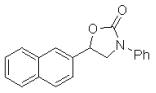
3-cyclohexyl-5-(naphthalen-2-yl)oxazolidin-2-one (3aj)



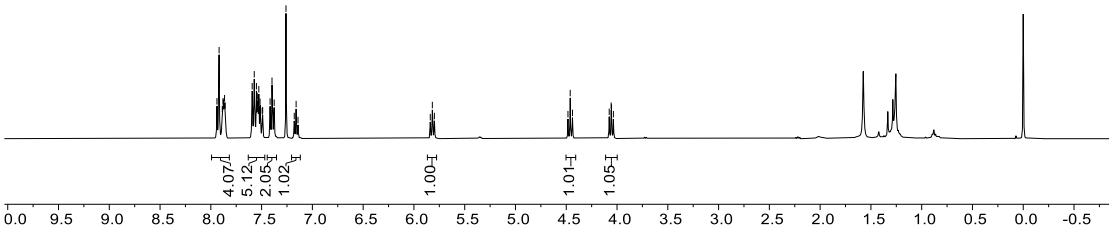


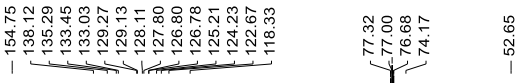
5-(naphthalen-2-yl)-3-phenyloxazolidin-2-one (3ak)

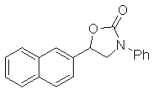




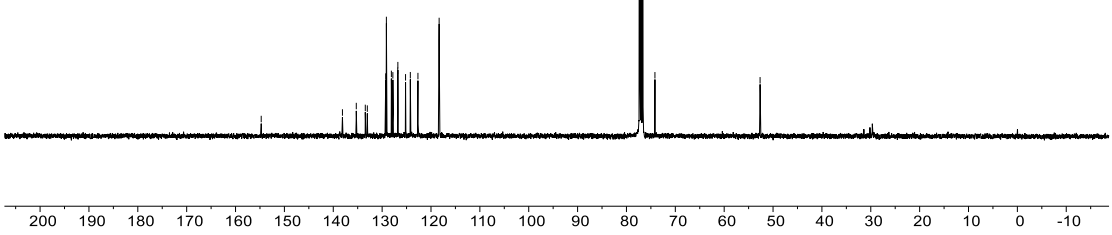
^{1}H NMR (400MHz, $CDCl_3$)



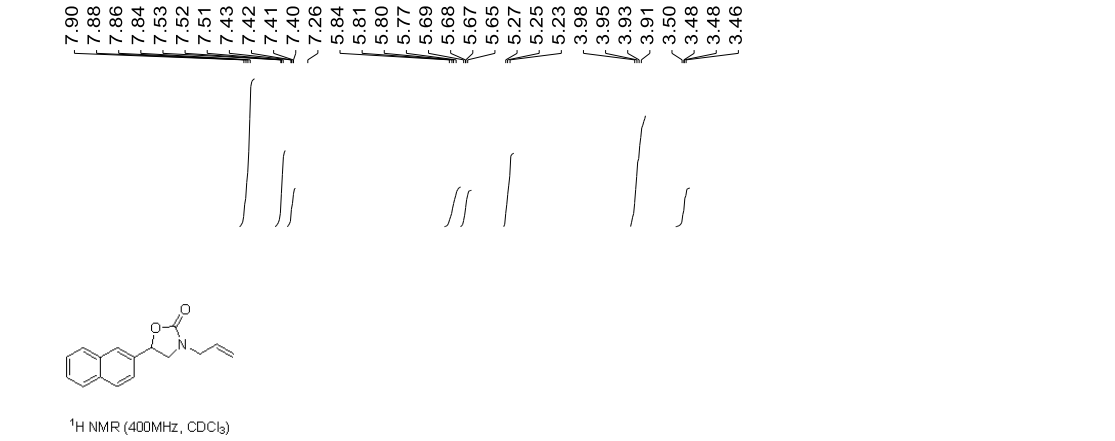




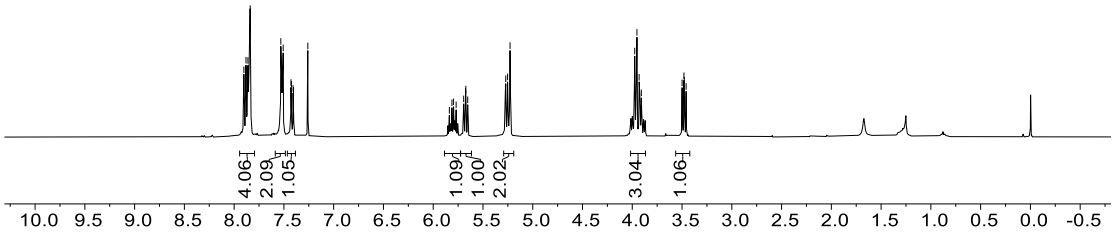
^{13}C NMR (100MHz, $CDCl_3$)

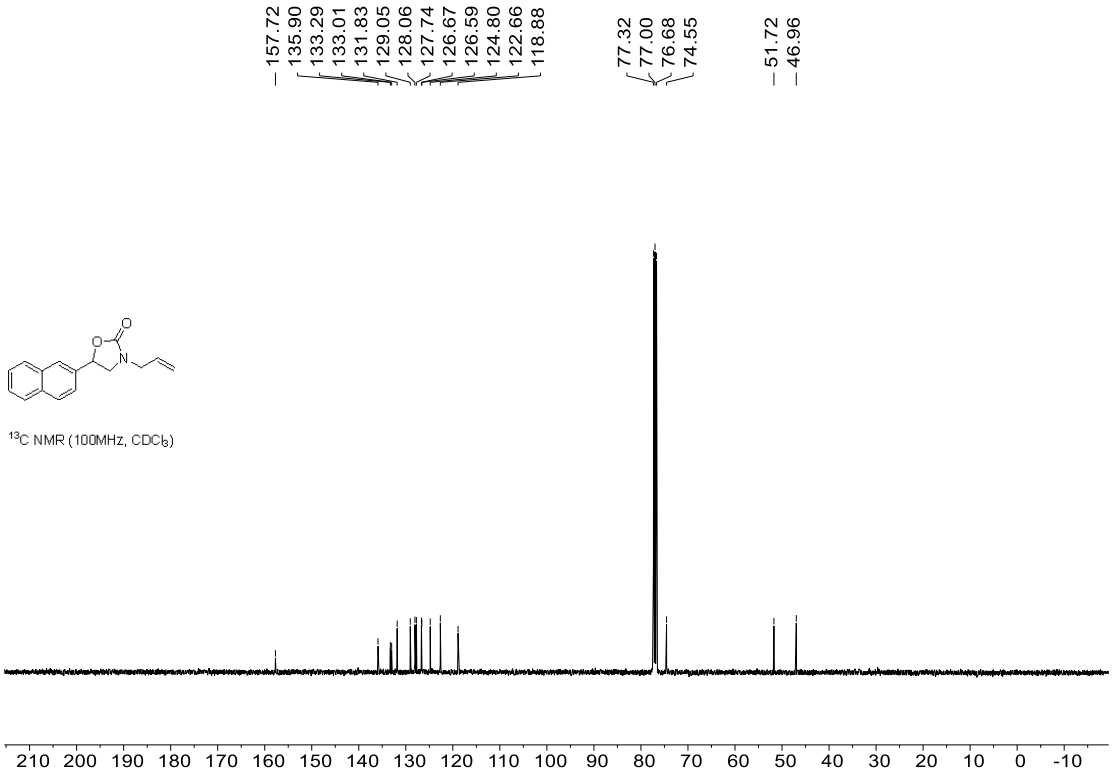


3-allyl-5-(naphthalen-2-yl)oxazolidin-2-one (3al)



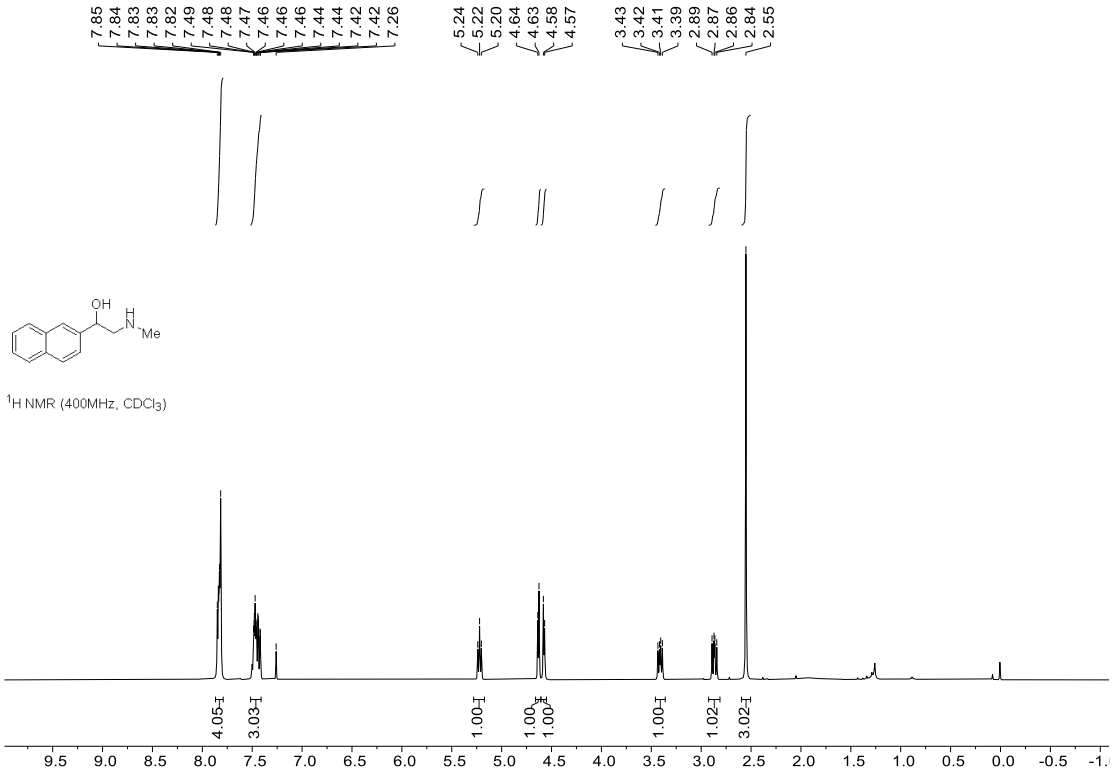
^{1}H NMR (400MHz, $CDCl_3$)

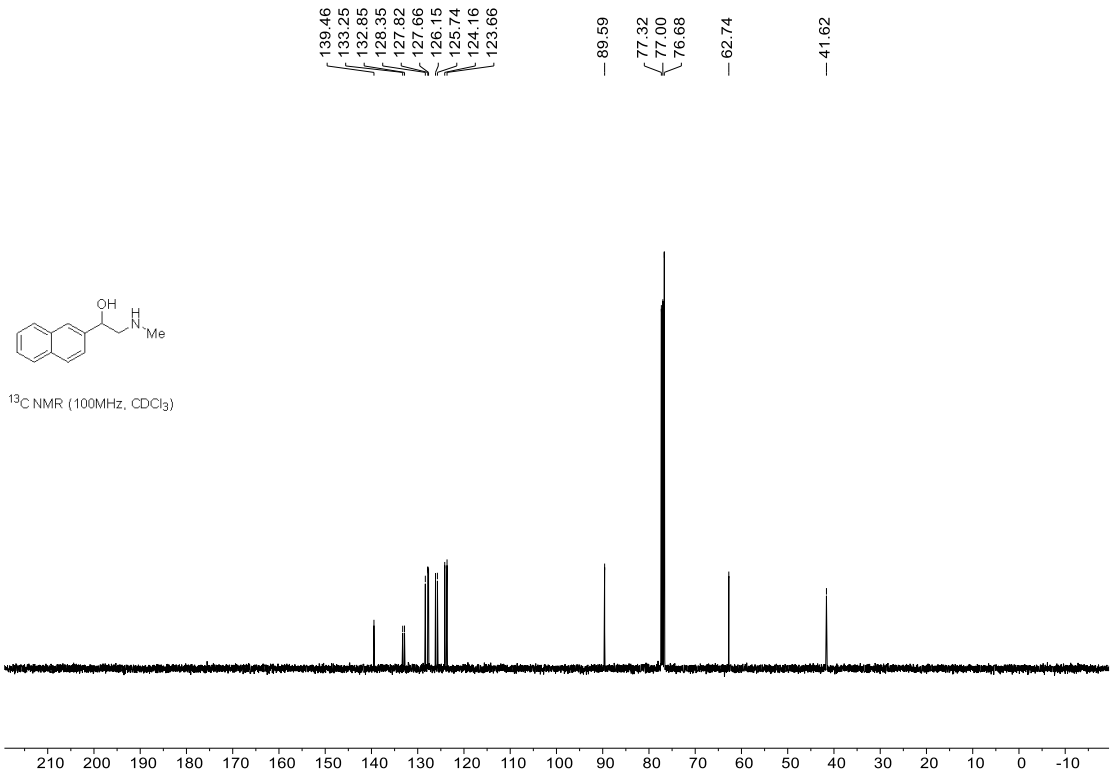




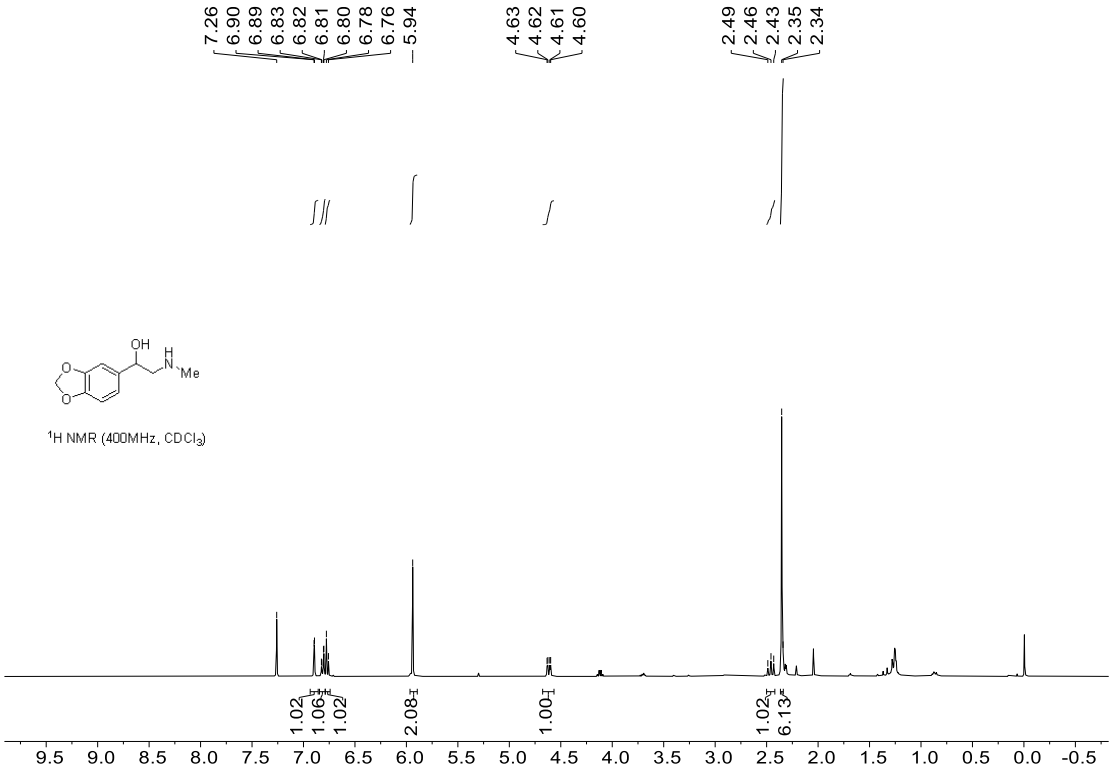
^{13}C NMR (100MHz, $CDCl_3$)

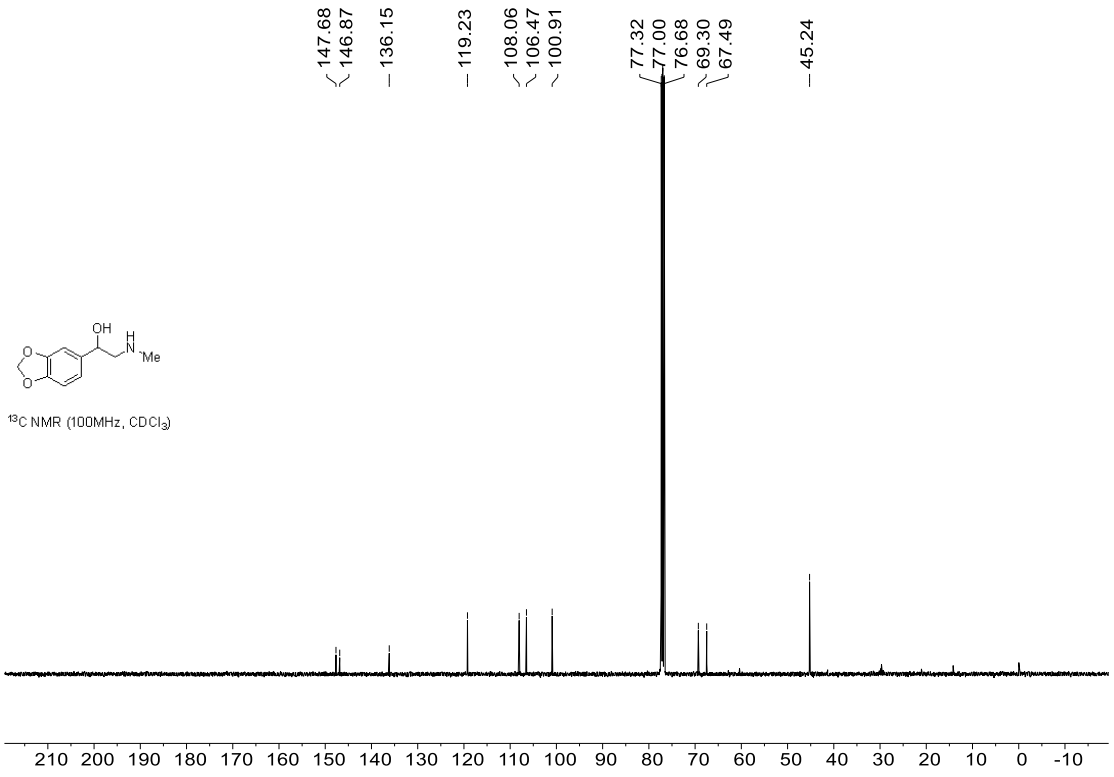
2-(methylamino)-1-(naphthalen-2-yl)ethan-1-ol (4)





1-(benzo[d][1,3]dioxol-5-yl)-2-(methylamino)ethan-1-ol (5)





11. References

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