Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

Electrochemical Co-catalyzed intramolecular addition of aryl and vinyl chloride to ketoamides via C-Cl bond activation

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General Information:

Unless otherwise noted, all commercially available compounds were used as provided without further purification. Solvents for chromatography were HPLC grade. Anhydrous and degassed DMA used in reactions was purchased from Sigma-Aldrich in Sure/Seal™ bottle. Analytical thin-layer chromatography (TLC) was performed on Merck silica gel aluminium plates with F-254

indicator, visualized by irradiation with UV light. Column chromatography was performed on silica gel (particle size 0.043–0.063 mm) by using Interchim PuriFlash®430 automatic purification system. ^{1}H-NMR, ^{13}C-NMR, ^{19}F NMR spectroscopy were recorded on Bruker DRX-500 and AMX-400 instruments in $CDCl_3$ and are reported relative to the solvent residual peaks. Data are reported in the following order: chemical shift (δ) in ppm; multiplicities are indicated s (singlet), bs (broad singlet), d (doublet), t (triplet), m (multiplet); coupling constants (*J*) are in Hertz (*Hz*). Cyclic voltammetry (CV) was performed on BioLogic Potentiostat SP-50. Mass spectra (EI-MS, 70 eV) were conducted on an Agilent 7890 gas chromatograph equipped with 5975C EIMSD Triple-Axis Detector using DB5MS and HP5MS columns. HRMS-ESI analysis was performed using a Thermo LTQ Velos Orbitrap mass spectrometer (Thermo Scientific, Pittsburgh, PA, USA) equipped with an ESI source. HRMS-EI analysis was performed using Agilent 7890 gas chromatograph equipped with JEOL AccuTOF GCx-plus EI source. For the electro-catalyzed reactions at constant current modes, Matsusada R4K36-0.1- L (230V) was used as power supply.

High Performance Liquid Chromatography (HPLC) was carried out on Agilent Technologies, 1290 Infinity II LC System. The chiral columns for determination of enantiomeric excess the following prefabricated columns from Daicel were used: Chiralcel OD-H, (4.6 x 100 mm), Chiralcel OD-H, (4.6 x 250 mm) and Chiralcel OJ-H (250 x 4.6 mm, 5 μm) columns. The chiral HPLC methods were calibrated with the corresponding racemic mixtures.

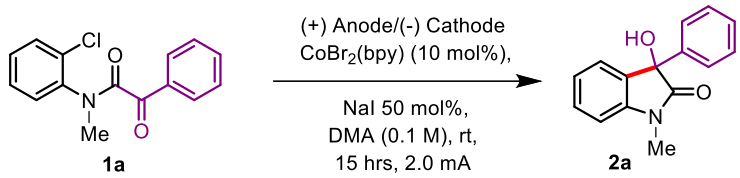
General procedure

General Procedure for electrochemical Co-catalyzed intramolecular addition of aryl and vinyl chloride to ketoamides via C-Cl bond activation: In a dry 5-mL vial equipped with a Teflon-coated magnetic stir bar (10mm*3mm) was charged with aryl chloride or vinyl chloride (amide substrate) (0.4 mmol, 1.0 equiv.), $CoBr_2$(bpy) (14.9 mg, 0.04 mmol, 10 mol %), and NaI (30 mg, 0.2 mmol, 0.5 equiv.) in glovebox. Anhydrous and degassed DMA (4.0 mL), were added. Then, it was capped with a Teflon lid equipped with stainless steel (4×50 mm) as the anode and stainless steel (surface area 2cm^2) as the cathode. The reaction mixture was stirred at room temperature and electrolyzed at a constant current, [2 mA for aryl chloride substrate and 3 mA for vinyl chloride substrate] for overnight (10-12 h). After the reaction is completed, the reaction mixture was transferred to a 50 mL conical flask and quenched reaction mixture by using 1.0 N aqueous HCl (20 mL), electrodes were washed with ethyl acetate. The mixture was extracted with

EtOAc (10 mL) for three times. The combined organic layer was washed with H_2O (20 mL) and brine (20 mL). The organic layer was dried over anhydrous Na_2SO_4, filtered, then concentrated under vacuum. The crude product obtained was purified by flash column chromatography on silica gel using hexane/EtOAc as eluent.

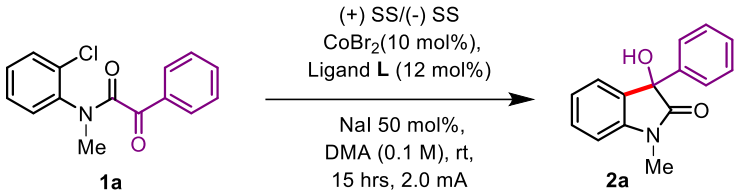
Detailed optimization of reaction condition:

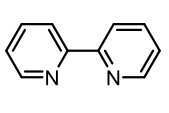
Electrode Screening:

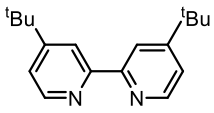


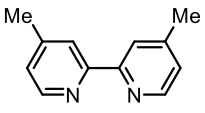
Entry	Variation of Eletrodes	3a (%)
1	Standard conditions	92
2	(+) SS/(-) Ni Foam	87
3	(+) Fe/ (-) Ni Foam	72
4	(+) Zn/ (-) Ni Foam	77
5	(+) RVC/ (-) Ni Foam, DIPEA (3.0 Equiv.)	nd
6	(+) RVC/ (-) RVC, TMEDA (3.0 Equiv.)	nd

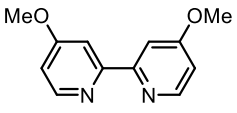
Ligand Screening:

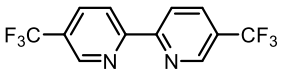


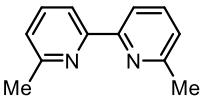

L1, 90%

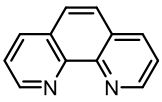

L1, 88%

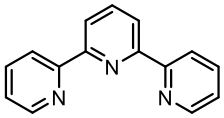

L3, 81%


L4, 79%

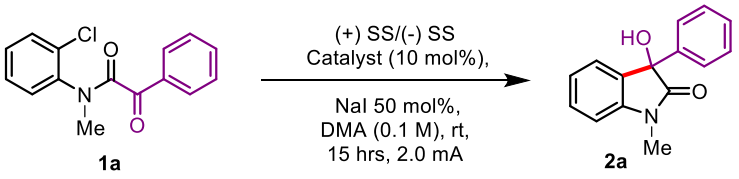

L5, 64%


L6, 45%


L6, 75%

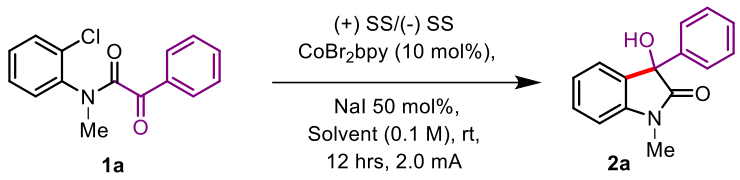

L7, 48%

Catalyst Screening:



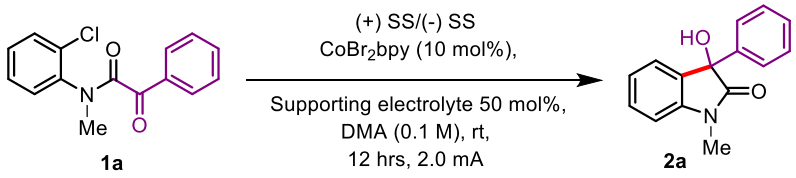
Entry	Catalyst	2a (%)
1	$CoBr_2$(bpy)	92
2	$CoBr_2$(dtbpy)	88
3	$Co(PPh_3)_3Cl_2$	70
4	Co(II) Phthalocyanine	61
5	$CoCl_2$(dppe)	57
6	$NiBr_2$(bpy)	84

Solvent screening:



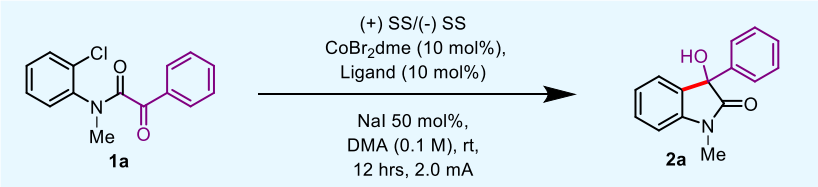
Entry	Solvent	2a (%)
1	DMA	92
2	DMF	79
3	DMSO	37
4	ACN	70
5	NMP	84

Supporting Electrolyte Screening:

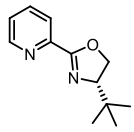


Entry	Supporting Electrolyte	2a (%)
1	NaI	92
2	LiBr	88
3	KBr	90
4	NaCl	70
5	TBAB	84

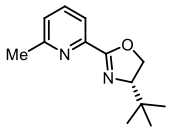
Screening of Chiral Ligands :



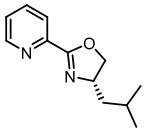
Pyridine-oxazoline type Ligands



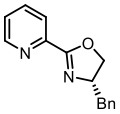
90% yield, **61% ee**



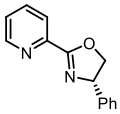
68% yield, **7.4% ee**



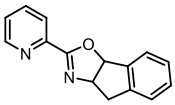
78% yield, **50.1% ee**



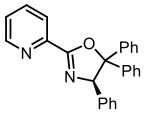
80% yield, **50.1% ee**



74% yield, **55.1% ee**

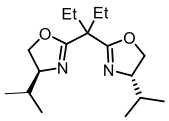


72% yield, **46.4% ee**

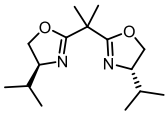


81% yield, **28% ee**

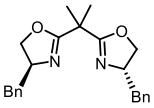
Bisoxazoline type Ligands



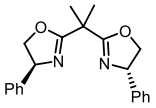
80% yield, **45% ee**



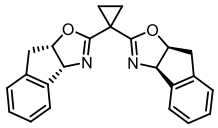
74% yield, **25.4% ee**



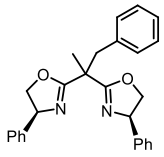
70% yield, **13.3% ee**



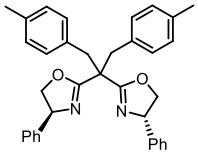
87% yield, **30.1% ee**



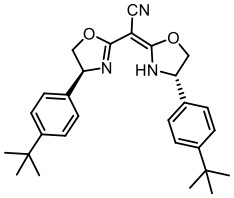
76% yield, **23.5% ee**



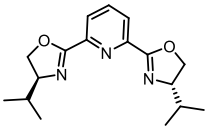
70% yield, **22.3% ee**



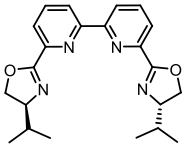
70% yield, **27.9% ee**



77% yield, **37% ee**

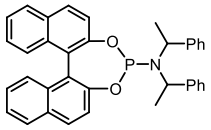


88% yield, **10% ee**



44% yield, **37.4% ee**

Phosphoramidate ligands

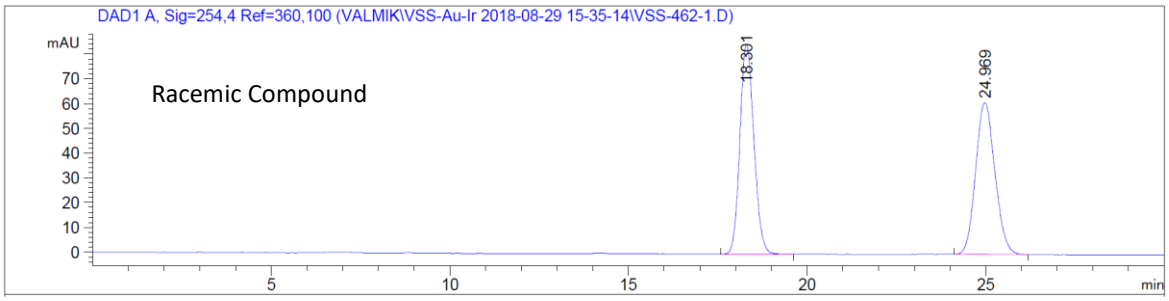


78% yield, **30% ee**

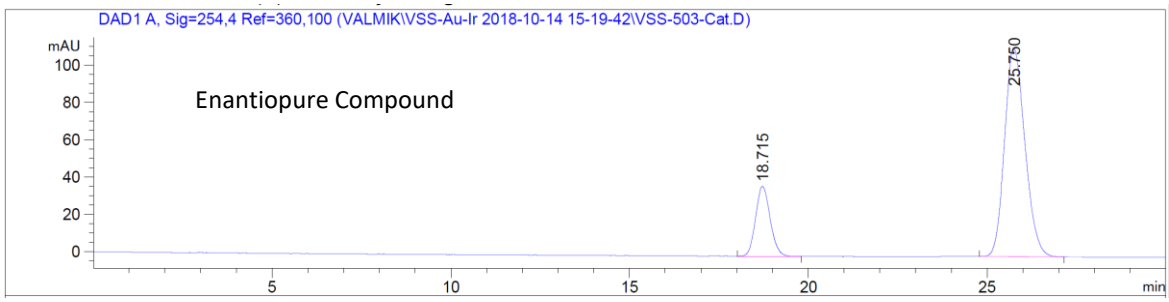
HPLC analysis of 2a:

HPLC conditions: IC-3 Column (4.6 x 100 mm), IPA/Hexane = 15/85, flow rate =1.0 mL/min,

tR(minor) = 18.7 min, tR(major) = 25.7 min, (er = 81: 19).

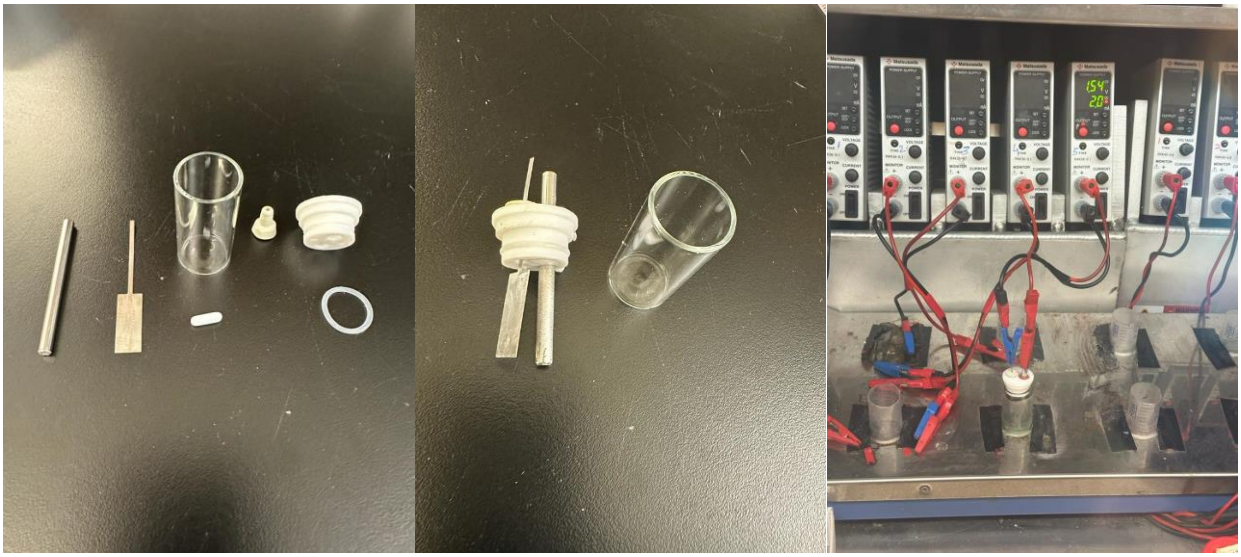


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.301	BB	0.4224	2311.12720	84.69000	50.0923
2	24.969	BB	0.5709	2302.61304	61.21300	49.9077
Totals :				4613.74023	145.90300	



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.715	BB	0.4426	1061.54041	37.46551	19.3214
2	25.750	BB	0.6278	4432.57617	111.99184	80.6786
Totals :				5494.11658	149.45734	

The device photos for DC supply and the electrochemical reaction set up in Glove box:



a) **Reaction cell preparation** b) **Electrochemical reaction setup in the glove box**

Cyclic Voltammetry (CV) measurements:

All measurements were performed under anhydrous conditions in argon-filled glovebox. All supporting electrolytes were dried under dynamic vacuum (less than 0.1 mbar) over 24 h at 100 °C and stored inside the glovebox. The cell for the analysis was equipped with a glass vial (working volume is 10 mL) and Teflon cap, equipped with O-ring for tight sealing. Glassy carbon was used as a working electrode (circle, d = 3 mm), platinum wire as a counter electrode, and $Ag/AgNO_3$ (CHI150 from CH Instruments, Inc.) as a reference electrode. All measurements were conducted in 0.1 M solutions of nBu_4NPF_6 in DMA. All analyte concentration was 10 mM. The scan rate was 100 mV/s.

The cyclic voltammetry (CV) measurements in DMA, are illustrated below. The reduction potential of **1a** and **3a** was ascertained to be below −2.0 V vs. $Ag/AgNO_3$, rendering its reduction unfeasible in the present protocol. We also determined the reduction potential of the cobalt catalyst ($CoBr_2bpy$), observing two reduction peaks. These peaks correspond to the Co^{II}/Co^{I} reduction (−1.52 V vs. $Ag/AgNO_3$) and the Co^{I}/Co^{0} reduction (−1.99 V vs. $Ag/AgNO_3$). This suggests that aryl or vinyl chloride can undergo oxidative addition with Co^{I}.

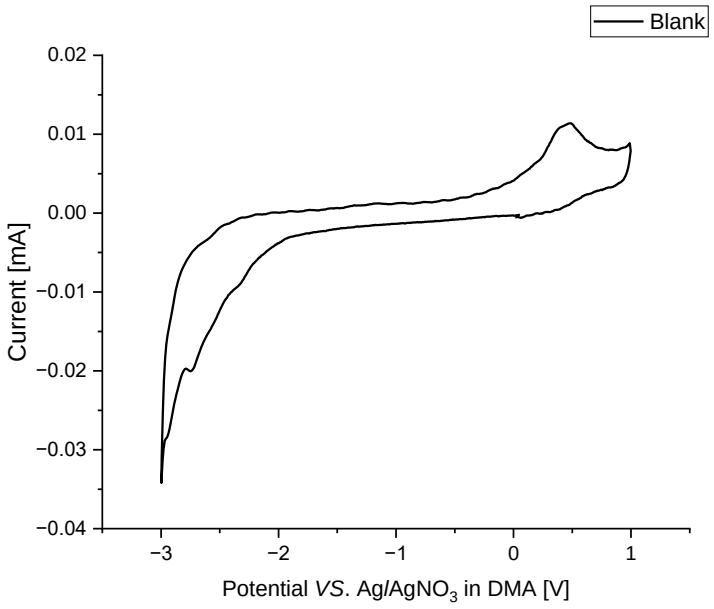


Figure 1. Cyclic voltammograms recorded on Glass Carbon electrode: **(Black line)** DMA containing 0.1 M $^{n}Bu_4NPF_6$.

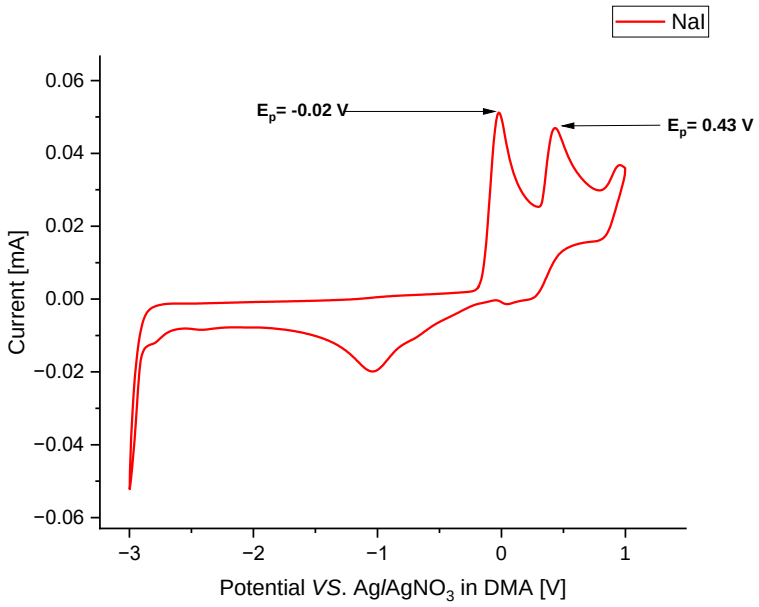


Figure 2. Cyclic voltammograms of NaI:

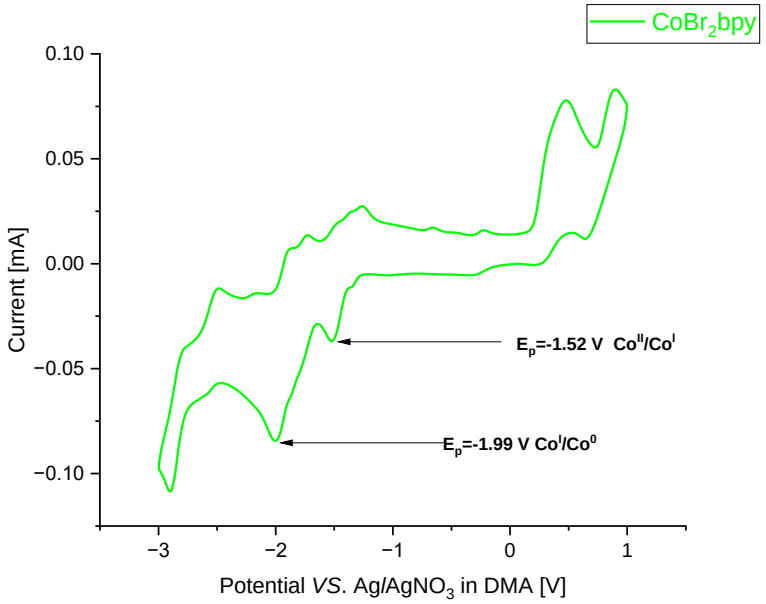


Figure 3. Cyclic voltammograms of **$CoBr_2$bpy**

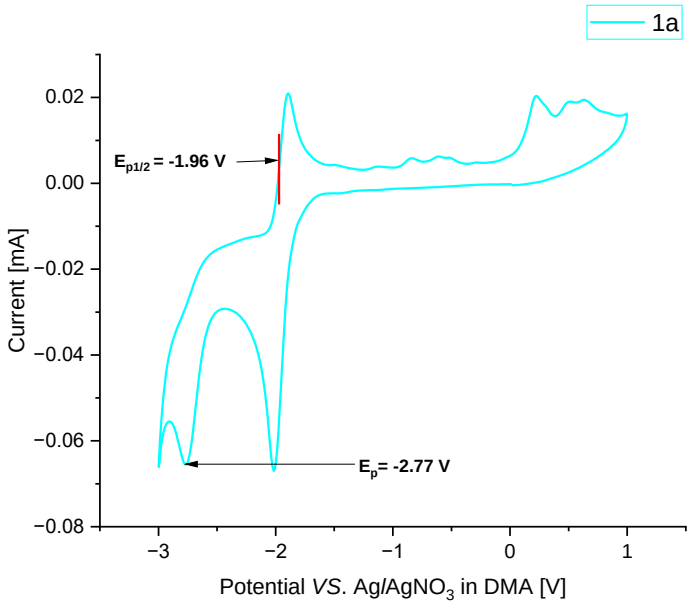


Figure 4. Cyclic voltammograms of **1a**

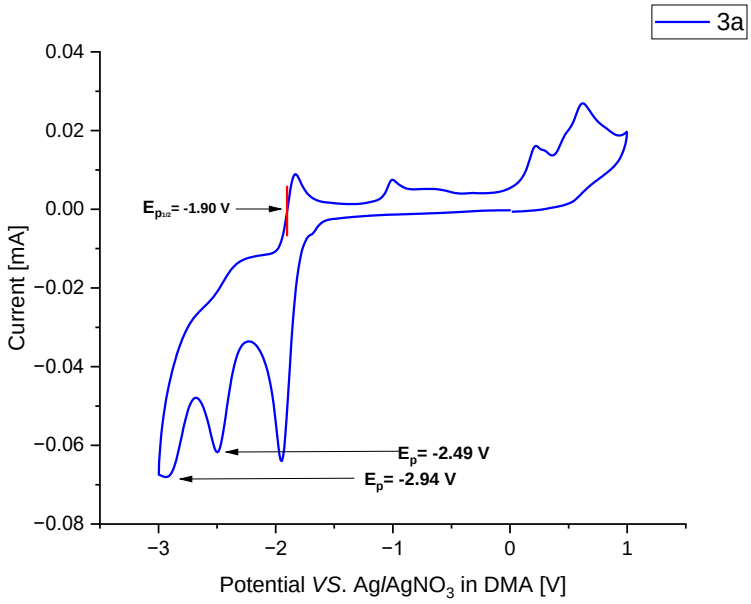


Figure 5. Cyclic voltammograms of **3a**

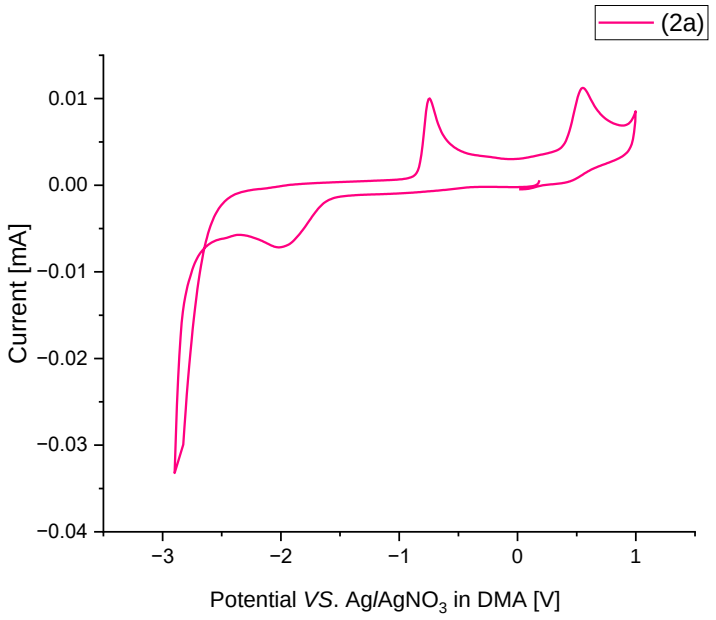


Figure 6. Cyclic voltammograms of **2a**

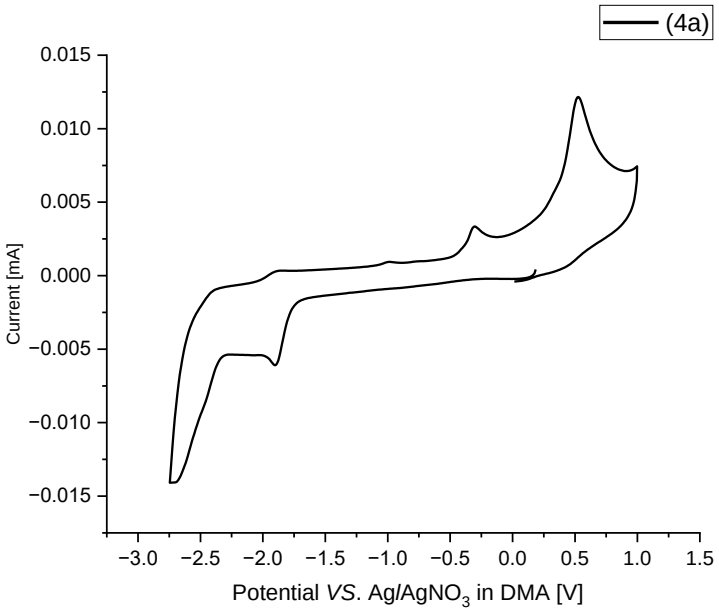
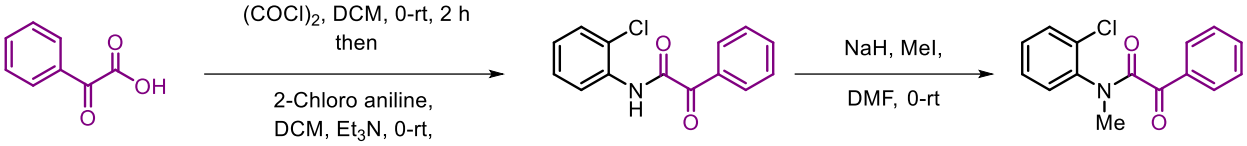


Figure 7. Cyclic voltammograms of **4a**

General procedure for substrate synthesis:

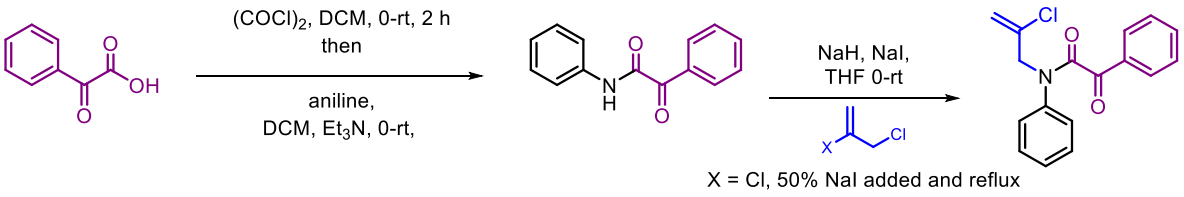
A) Procedure for Aryl halide substrates: Aryl halide substrates were prepared according to the literature known procedure.[1]



To a solution of α-ketoacids (1.0 eq., 0.5 M) in CH_2Cl_2 was introduced oxalyl chloride (1.2 eq.) at room temperature followed by the addition of a drop of DMF as catalyst and the mixture was stirred at this temperature until no gas was released. After removing all volatiles under vacuum, the residue was dissolved in CH_2Cl_2 (0.5 M) and cooled to 0 ^oC followed by the addition of ortho-haloanilines (1.2 eq.) and NEt_3 (2.0 eq.). The mixture was then warmed to room temperature and stirred overnight. After diluted with ether and washed with 1N HCl, water and brine, respectively, the mixture was extracted with ether and evaporated after drying of the combined organic phases over $MgSO_4$, followed by purification with chromatography to give the amides.

Methylation or benzylation of N-H amides: To the suspension of 1.1 eq. NaH in DMF was added N-H amide (1.0 eq., 0.5 M) at 0 ^{o} C and stirred for 1 h at room temperature. The mixture was then cooled to 0 ^oC followed by the treatment of MeI or BnBr (1.1 eq.) and was stirred at room temperature for 20 h. After quenched with sat. NH_4Cl aq. and diluted with ether, the organic phase was washed with water and brine, respectively. The combined organic phase was then dried over Na_2SO_4 and concentrated to give the residue, which was purified by flash chromatography.

b) Procedure for vinyl halide substrates: Vinyl halide substrates were prepared according to the known procedure.[1]

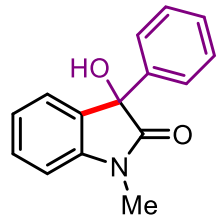


To a solution of α-ketoacids (1.0 eq., 0.5 M) in CH_2Cl_2 was introduced oxalyl chloride (1.2 eq.) at room temperature followed by addition of a drop of DMF as catalyst and the mixture was stirred at this temperature until no gas was released. After removing all volatiles under vacuum, the residue was dissolved in CH_2Cl_2 (0.5 M) and cooled to 0 ^oC followed by the addition of aniline

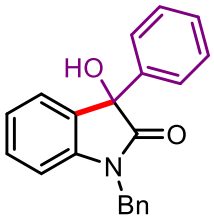
(1.2 eq.) and NEt_3 (2.0 eq.). The mixture was then allowed to warm to room temperature and stirred overnight. After diluted with ether and washed with 1N HCl, water and brine, respectively, the mixture was extracted with ether and evaporated after drying of the combined organic phases over $MgSO_4$, followed by purification with chromatography to give the amides.

Allylation of N-H amides: To the suspension of 1.1 eq. NaH in THF was added the N-H amide (1.0 eq., 0.5 M) at 0 °C, stirred for 1 h at room temperature and then cooled to 0 °C. After treated with 2,3-dihalo-1-propene (1.1 eq., respectively), the mixture was stirred for 20 h (for Br, stirred at room temperature; for Cl, 0.5 eq. NaI was added as additive and reflux in THF). After quenched with sat. NH_4Cl aq. and diluted with ether, the organic phase was washed with water and brine, respectively. The combined organic phase was then dried over Na_2SO_4 and concentrated to give the residue, which was purified by flash chromatography.

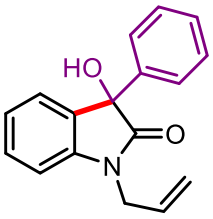
Characterization Data for the product:



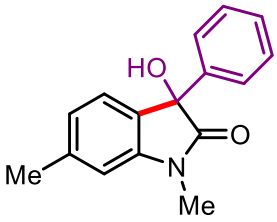
3-hydroxy-1-methyl-3-phenylindolin-2-one (2a): Yield: 90% (86.1 mg); **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.39 – 7.35 (m, 2H), 7.35 – 7.23 (m, 5H), 7.07 (td, *J* = 7.6, 0.9 Hz, 1H), 6.89 (d, *J* = 7.8 Hz, 1H), 3.99 (s, 1H), 3.21 (s, 3H); **^{13}C NMR (126 MHz, $CDCl_3$)** δ 177.75, 143.53, 140.24, 131.84, 129.87, 128.62, 128.30, 125.48, 125.02, 123.63, 108.76, 78.10, 26.59; Data in accordance with the literature.[2]



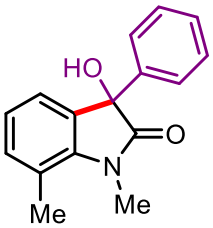
1-benzyl-3-hydroxy-3-phenylindolin-2-one (2b): Yield: 81% (102.0 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.47 – 7.38 (m, 2H), 7.37 – 7.20 (m, 10H), 7.04 (td, *J* = 7.6, 1.0 Hz, 1H), 6.79 (d, *J* = 7.8 Hz, 1H), 5.04 (d, *J* = 15.6 Hz, 1H), 4.82 (dd, *J* = 15.6, 2.1 Hz, 1H), 3.66 (d, *J* = 65.0 Hz, 1H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 177.81, 142.75, 140.30, 135.53, 131.81, 129.89, 129.01, 128.78, 128.45, 127.91, 127.42, 125.45, 125.13, 123.70, 109.89, 78.14, 44.18; Data in accordance with the literature.[2]



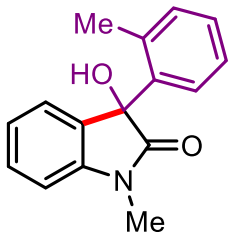
1-allyl-3-hydroxy-3-phenylindolin-2-one (2c): Yield: 51% (54.0 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.51 – 7.27 (m, 7H), 7.08 (td, *J* = 7.6, 1.0 Hz, 1H), 6.91 (d, *J* = 7.9 Hz, 1H), 5.88 (ddt, *J* = 17.2, 10.4, 5.3 Hz, 1H), 5.38 – 5.13 (m, 2H), 4.47 (ddt, *J* = 16.4, 5.2, 1.7 Hz, 1H), 4.29 (ddt, *J* = 16.3, 5.3, 1.6 Hz, 1H), 2.04 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.38, 142.84, 140.30, 131.65, 131.15, 129.94, 128.80, 128.49, 125.41, 125.14, 123.65, 118.09, 109.78, 42.73. Data in accordance with the literature[3].



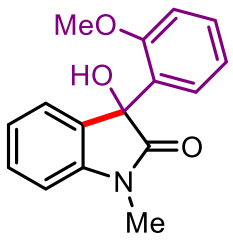
3-hydroxy-1,6-dimethyl-3-phenylindolin-2-one (2d): Yield: 84% (85.0 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.42 – 7.26 (m, 5H), 7.13 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.09 (d, *J* = 1.6 Hz, 1H), 6.78 (d, *J* = 7.9 Hz, 1H), 4.09 (s, 1H), 3.20 (s, 3H), 2.29 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 177.79, 141.08, 140.44, 133.32, 131.90, 130.07, 128.60, 128.22, 125.72, 125.42, 108.53, 78.25, 26.62, 21.15; **GCMS (EI)** m/z calcd. for $C_{16}H_{15}NO_2$ [M^+] 253.1, found 253.1, 224.1, 208.1, 165.1, 148, 91.0, 77.0; **HRMS (ESI)** for $C_{16}H_{15}NO_2$: calculated for $[M+Na]^+$ 276.0995, found 276.0993.



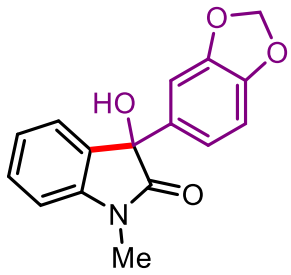
3-hydroxy-1,7-dimethyl-3-phenylindolin-2-one (2e): Yield: 76% (77.0 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.44 – 7.27 (m, 5H), 7.14 (d, *J* = 8.0 Hz, 1H), 7.09 (s, 1H), 6.79 (dd, *J* = 7.8, 1.5 Hz, 1H), 3.76 (s, 1H), 3.22 (s, 3H), 2.29 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 177.74, 141.16, 140.44, 133.36, 131.81, 130.16, 128.67, 128.30, 125.76, 125.42, 108.57, 26.66, 21.17; **GCMS (EI)** m/z calcd. for $C_{16}H_{15}NO_2$ [M^+] 253.1, found 253.1, 224.1, 208.1, 165.1, 148, 91.0, 77.0; **HRMS (ESI)** for $C_{16}H_{15}NO_2$: calculated for $[M+Na]^+$ 276.0995, found 276.0993.



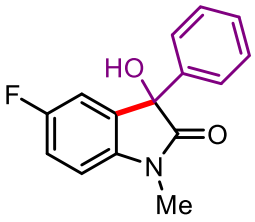
3-hydroxy-1-methyl-3-(o-tolyl)indolin-2-one (2f): Yield: 79% (80.0 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.98 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.38 – 7.29 (m, 2H), 7.23 (td, *J* = 7.4, 1.4 Hz, 1H), 7.11 – 7.00 (m, 3H), 6.92 (d, *J* = 7.7 Hz, 1H), 3.31 (s, 3H), 2.97 (s, 1H), 1.82 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$):** δ 144.22, 137.93, 134.58, 131.69, 130.26, 128.48, 126.24, 126.02, 125.03, 123.72, 108.66, 26.58, 19.33; Data in accordance with the literature.[4]



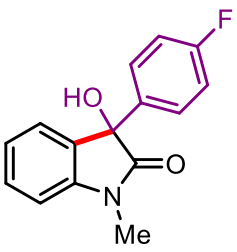
3-hydroxy-3-(2-methoxyphenyl)-1-methylindolin-2-one (2g): Yield: 81% (87.2 mg); **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.70 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.34 – 7.24 (m, 2H), 7.11 (dd, *J* = 7.2, 1.3 Hz, 1H), 7.00 (dtd, *J* = 17.6, 7.5, 1.0 Hz, 2H), 6.86 (dt, *J* = 7.8, 0.7 Hz, 1H), 6.81 (dd, *J* = 8.2, 1.0 Hz, 1H), 3.84 (s, 1H), 3.60 (s, 3H), 3.27 (s, 3H); **^{13}C NMR (126 MHz, CDCl$_3$)** δ 177.73, 156.29, 144.37, 130.77, 129.71, 129.55, 129.08, 126.92, 124.46, 123.01, 121.20, 111.94, 108.11, 76.61, 56.17, 26.40; Data in accordance with the literature.[5]



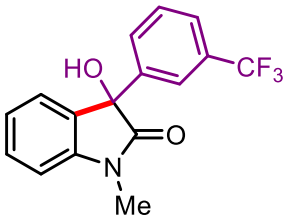
3-(benzo[d][1,3]dioxol-5-yl)-3-hydroxy-1-methylindolin-2-one (2h): Yield: 87% (98.5 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.34 (td, *J* = 7.7, 1.2 Hz, 1H), 7.28 (dd, *J* = 7.5, 1.2 Hz, 1H), 7.09 (t, *J* = 7.6 Hz, 1H), 6.95 – 6.85 (m, 2H), 6.82 (dd, *J* = 8.1, 1.8 Hz, 1H), 6.72 (d, *J* = 8.1 Hz, 1H), 5.92 (q, *J* = 1.4 Hz, 2H), 3.68 (s, 1H), 3.22 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 177.60, 148.00, 147.74, 143.46, 134.10, 131.68, 129.99, 124.92, 123.67, 119.08, 108.83, 106.47, 77.84, 101.32; Data in accordance with the literature.[6]



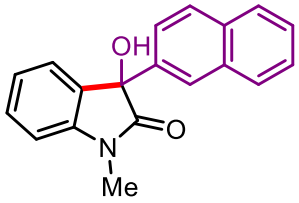
5-fluoro-3-hydroxy-1-methyl-3-phenylindolin-2-one (2i): Yield: 90% (92.6 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.47 – 7.27 (m, 5H), 7.03 (ddt, *J* = 13.7, 7.3, 2.2 Hz, 2H), 6.82 (ddd, *J* = 8.4, 4.0, 1.3 Hz, 1H), 4.21 (s, 1H), 3.21 (d, *J* = 1.4 Hz, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 177.66, 161.00, 158.60, 139.75, 139.36, 139.34, 133.49, 133.42, 128.78, 128.57, 125.33, 116.24, 116.01, 113.35, 113.10, 109.48, 109.41, 78.27, 26.77. **^{19}F NMR (377 MHz, CDCl$_3$)** δ -119.02; Data in accordance with the literature.[7]



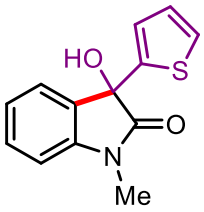
3-(4-fluorophenyl)-3-hydroxy-1-methylindolin-2-one (2j): Yield: 81% (83.3 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.37 – 7.28 (m, 5H), 7.07 – 6.97 (m, 2H), 6.82 (dd, *J* = 7.7, 4.6 Hz, 1H), 4.21 (s, 1H), 3.21 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 177.67, 161.01, 158.60, 139.75, 139.37, 139.34, 133.50, 133.42, 128.78, 128.58, 125.34, 116.25, 116.01, 113.35, 113.10, 109.49, 109.41, 78.27, 26.77. **^{19}F NMR (377 MHz, CDCl$_3$)** δ -119.02; Data in accordance with the literature.[6]



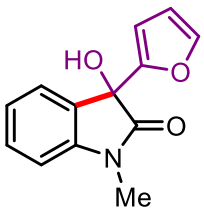
3-hydroxy-1-methyl-3-(3-(trifluoromethyl)phenyl)indolin-2-one (2k): Yield: 85% (104.4 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.74 (d, *J* = 1.9 Hz, 1H), 7.58 (d, *J* = 7.7 Hz, 1H), 7.54 – 7.51 (m, 1H), 7.46 – 7.39 (m, 2H), 7.32 – 7.26 (m, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 6.97 (d, *J* = 7.8 Hz, 1H), 4.35 (s, 1H), 3.27 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 143.47, 141.38, 131.32, 131.10, 130.78, 130.33, 129.11, 125.43, 125.19, 124.98, 123.97, 122.73, 122.47, 109.08, 77.82, 26.70; **^{19}F NMR (377 MHz, CDCl$_3$)** δ -62.51; Data in accordance with the literature.[6]



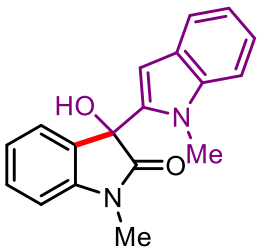
3-hydroxy-1-methyl-3-(naphthalen-2-yl)indolin-2-one (2l): Yield: 86% (99.5 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.92 (s, 1H), 7.83 – 7.68 (m, 3H), 7.50 – 7.42 (m, 2H), 7.43 – 7.28 (m, 3H), 7.11 – 7.05 (m, 1H), 6.93 (d, *J* = 7.8 Hz, 1H), 4.22 – 3.80 (m, 1H), 3.27 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 177.74, 143.61, 137.54, 133.16, 131.76, 130.04, 128.65, 128.38, 127.68, 126.41, 125.13, 124.47, 123.74, 123.27, 108.89, 78.33, 26.71; Data in accordance with the literature.[5]



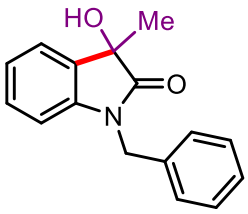
3-hydroxy-1-methyl-3-(thiophen-2-yl)indolin-2-one (2m): Yield: 79% (75.5 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.51 (dd, *J* = 7.4, 1.2 Hz, 1H), 7.35 (td, *J* = 7.8, 1.3 Hz, 1H), 7.27 (dd, *J* = 5.0, 1.3 Hz, 1H), 7.12 (td, *J* = 7.6, 1.0 Hz, 1H), 6.95 (dd, *J* = 3.6, 1.3 Hz, 1H), 6.90 (dd, *J* = 5.1, 3.6 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 4.80 (s, 1H), 3.17 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 176.36, 143.52, 130.76, 130.17, 126.78, 126.67, 125.93, 125.02, 123.49, 108.85, 75.54, 26.61; Data in accordance with the literature.[6]



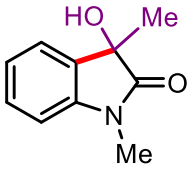
3-(furan-2-yl)-3-hydroxy-1-methylindolin-2-one (2n): Yield: 67% (61.4 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.57 (dd, *J* = 7.4, 1.2 Hz, 1H), 7.43 (t, *J* = 1.4 Hz, 1H), 7.37 (td, *J* = 7.8, 1.3 Hz, 1H), 7.14 (td, *J* = 7.6, 1.0 Hz, 1H), 6.87 (d, *J* = 7.9 Hz, 1H), 6.31 (d, *J* = 1.3 Hz, 2H), 3.79 (s, 1H), 3.22 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 175.18, 151.41, 144.02, 143.64, 130.44, 128.31, 125.54, 123.51, 110.46, 109.08, 108.83, 73.55, 26.63; Data in accordance with the literature.[8]



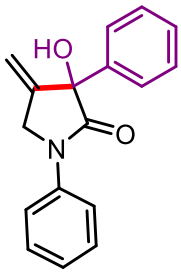
3-hydroxy-1-methyl-3-(1-methyl-1H-indol-2-yl)indolin-2-one (2o): Yield: 63% (73.6 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.52 (dd, *J* = 7.4, 1.2 Hz, 1H), 7.36 (td, *J* = 7.8, 1.2 Hz, 1H), 7.28 (s, 1H), 7.25 – 7.18 (m, 1H), 7.12 – 7.05 (m, 2H), 6.96 (s, 1H), 6.91 (d, *J* = 7.7 Hz, 1H), 3.69 (s, 3H), 3.35 (s, 1H), 3.25 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 177.29, 143.33, 137.87, 131.31, 129.87, 127.85, 125.48, 124.98, 123.35, 122.23, 120.89, 119.90, 113.87, 109.69, 108.65, 75.69, 32.95, 26.56; **GCMS (EI)** m/z calcd. for $C_{18}H_{16}N_2O_2$ [M^+] 292.1, found 292.1, 207.1, 146, 91.0; **HRMS (ESI)** for $C_{18}H_{16}N_2O_2$: calculated for [M+Na]$^+$ 315.1104, found 315.1109.



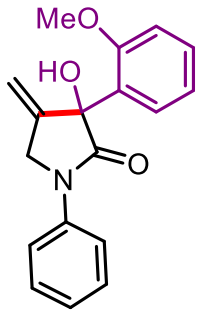
1-benzyl-3-hydroxy-3-methylindolin-2-one (2p): Yield: 54% (54.7 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.42 (dd, *J* = 7.3, 1.2 Hz, 1H), 7.34 – 7.26 (m, 5H), 7.21 (td, *J* = 7.8, 1.3 Hz, 1H), 7.07 (td, *J* = 7.6, 1.0 Hz, 1H), 6.72 (d, *J* = 7.8 Hz, 1H), 4.96 (d, *J* = 15.7 Hz, 1H), 4.82 (d, *J* = 15.7 Hz, 1H), 2.94 (s, 1H), 1.67 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 178.71, 142.06, 135.58, 131.41, 129.71, 128.99, 127.87, 127.33, 123.64, 123.40, 109.73, 73.86, 43.87, 25.23; Data in accordance with the literature.[2]



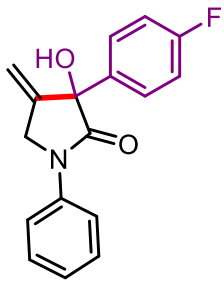
3-hydroxy-1,3-dimethylindolin-2-one (2q): Yield: 51% (36.1 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.41 (d, *J* = 7.3 Hz, 1H), 7.32 (t, *J* = 7.7 Hz, 1H), 7.10 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* = 7.7 Hz, 1H), 3.33 (s, 1H), 3.19 (s, 3H), 1.60 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 178.75, 142.92, 131.57, 129.71, 123.55, 123.37, 108.63, 73.80, 26.36, 24.95; Data in accordance with the literature.[2]



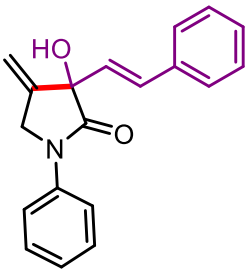
3-hydroxy-4-methylene-1,3-diphenylpyrrolidin-2-one (4a): Yield: 87% (92.3 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.74 – 7.65 (m, 2H), 7.56 – 7.49 (m, 2H), 7.42 – 7.28 (m, 5H), 7.20 (t, *J* = 7.4 Hz, 1H), 5.63 (t, *J* = 2.1 Hz, 1H), 5.42 (t, *J* = 1.8 Hz, 1H), 4.46 – 4.35 (m, 2H), 4.06 – 3.86 (m, 1H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 173.41, 143.15, 140.60, 138.56, 129.15, 128.79, 128.50, 125.60, 125.38, 119.86, 111.69, 79.25, 50.84; Data in accordance with the literature.[1]



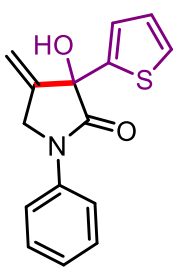
3-hydroxy-3-(2-methoxyphenyl)-4-methylene-1-phenylpyrrolidin-2-one (4b): Yield: 72% (85.0 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.71 – 7.57 (m, 2H), 7.46 – 7.27 (m, 5H), 7.09 – 6.90 (m, 2H), 5.57 (t, *J* = 2.0 Hz, 1H), 5.31 (t, *J* = 1.9 Hz, 1H), 4.47 (dt, *J* = 13.3, 2.4 Hz, 1H), 4.30 (dt, *J* = 13.4, 1.6 Hz, 1H), 3.84 (s, 3H), 3.29 (s, 1H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 173.94, 154.92, 144.97, 141.49, 129.40, 128.68, 128.56, 128.21, 126.18, 125.40, 121.12, 112.25, 110.90, 78.40, 55.73, 52.38; **GCMS (EI)** m/z calcd. for $C_{18}H_{17}NO_3$ [M^+] 295.1, found 295.1, 266.1, 145.1, 105, 91.0, 77.1; **HRMS (ESI)** for $C_{18}H_{17}NO_3$: calculated for $[M+Na]^+$ 318.1100, found 318.1103.



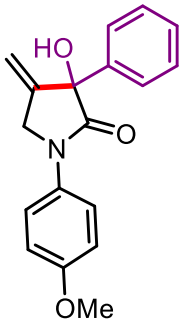
3-(4-fluorophenyl)-3-hydroxy-4-methylene-1-phenylpyrrolidin-2-one (4c): Yield: 76% (86.1 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.74 – 7.65 (m, 2H), 7.57 – 7.49 (m, 2H), 7.42 (t, *J* = 7.9 Hz, 2H), 7.23 (t, *J* = 7.4 Hz, 1H), 7.04 (t, *J* = 8.7 Hz, 2H), 5.72 – 5.57 (m, 1H), 5.46 (d, *J* = 1.9 Hz, 1H), 4.43 (d, *J* = 1.9 Hz, 2H), 3.97 (s, 1H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 173.33, 164.16, 161.70, 143.18, 138.58, 136.46, 136.43, 129.32, 127.88, 127.80, 125.65, 120.01, 115.88, 115.66, 112.12, 78.86, 50.94; **^{19}F NMR (377 MHz, CDCl$_3$) δ** -113.50; **GCMS (EI)** m/z calcd. for $C_{17}H_{14}FNO_2$ [M^+] 283.1, found; 283.1, 254.1, 163.1, 149.0, 91.0, 77.0, 51.1; **HRMS (ESI)** for $C_{17}H_{14}FNO_2$: calculated for $[M+Na]^+$ 306.0901, found 306.0890.



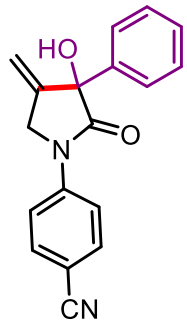
3-hydroxy-4-methylene-1-phenyl-3-styrylpyrrolidin-2-one (4d): Yield: 59%, (*E*:*Z*=10:1) (68.7 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.53 – 8.40 (m, 3H), 8.01 (dd, *J* = 7.8, 2.0 Hz, 2H), 7.81 – 7.78 (m, 2H), 7.50 – 7.36 (m, 6H), 7.26 (t, *J* = 7.4 Hz, 1H), 5.50 (dt, *J* = 29.9, 2.1 Hz, 2H), 5.11 (dt, *J* = 13.1, 2.6 Hz, 1H), 4.72 (dt, *J* = 13.0, 1.6 Hz, 1H), 3.62 (s, 1H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 174.43, 141.85, 139.03, 134.25, 131.92, 130.70, 130.27, 129.80, 129.33, 127.36, 126.03, 125.49, 124.70, 120.42, 116.24, 83.25, 51.88; **HRMS (ESI)** for $C_{19}H_{17}NO_2$: calculated for $[M+Na]^+$ 314.1151, found 314.1159.



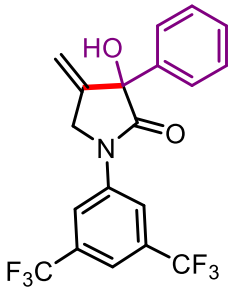
3-hydroxy-4-methylene-1-phenyl-3-(thiophen-2-yl)pyrrolidin-2-one (4e): Yield: 78% (84.6 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.77 – 7.61 (m, 2H), 7.41 (dd, *J* = 8.6, 7.3 Hz, 2H), 7.33 (dd, *J* = 5.1, 1.2 Hz, 1H), 7.22 (t, *J* = 7.4 Hz, 1H), 7.16 (dd, *J* = 3.6, 1.2 Hz, 1H), 6.97 (dd, *J* = 5.1, 3.6 Hz, 1H), 5.79 (t, *J* = 2.0 Hz, 1H), 5.50 (t, *J* = 1.8 Hz, 1H), 4.55 – 4.37 (m, 2H), 3.80 (s, 1H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.09, 143.73, 142.39, 138.54, 129.20, 127.15, 125.51, 119.95, 111.95, 76.45, 50.59; Data in accordance with the literature.[5]



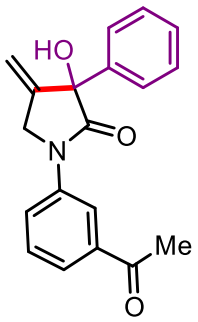
3-hydroxy-1-(4-methoxyphenyl)-4-methylene-3-phenylpyrrolidin-2-one (4f-a): Yield: 68% (80.2 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.65 – 7.58 (m, 2H), 7.55 – 7.49 (m, 2H), 7.39 – 7.28 (m, 3H), 6.97 – 6.90 (m, 2H), 5.61 (t, *J* = 2.0 Hz, 1H), 5.40 (t, *J* = 1.8 Hz, 1H), 4.46 – 4.35 (m, 2H), 3.81 (s, 3H), 2.65 (s, 1H).**^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.84, 157.24, 143.31, 140.79, 131.77, 128.88, 128.57, 125.54, 121.71, 114.39, 111.59, 55.64, 51.28. Data in accordance with the literature[9].



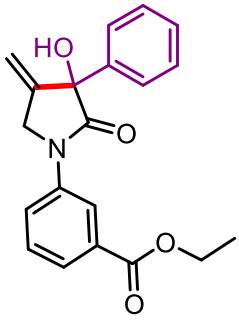
4-(3-hydroxy-4-methylene-2-oxo-3-phenylpyrrolidin-1-yl)benzonitrile (4f-b): Yield: 42% (47.6 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.93 – 7.84 (m, 2H), 7.72 – 7.66 (m, 2H), 7.54 – 7.48 (m, 2H), 7.41 – 7.31 (m, 3H), 5.68 (t, *J* = 2.0 Hz, 1H), 5.50 (t, *J* = 1.8 Hz, 1H), 4.44 (q, *J* = 1.7 Hz, 2H), 2.78 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 174.04, 142.30, 141.82, 139.74, 133.37, 129.08, 129.05, 125.66, 119.48, 118.67, 112.73, 108.30, 50.50. Data in accordance with the literature.[9]



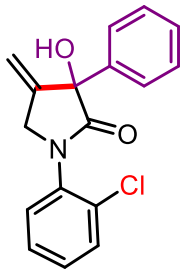
1-(3,5-bis(trifluoromethyl)phenyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4g): Yield: 89% (142.8 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.23 (s, 2H), 7.68 (s, 1H), 7.55 – 7.49 (m, 2H), 7.36 (q, *J* = 7.4, 6.8 Hz, 3H), 5.71 (d, *J* = 2.0 Hz, 1H), 5.55 (d, *J* = 1.8 Hz, 1H), 4.50 (d, *J* = 2.1 Hz, 2H), 3.62 (s, 1H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 174.24, 141.65, 140.00, 139.46, 133.14, 132.81, 132.48, 132.14, 129.13, 129.10, 125.76, 124.48, 121.77, 119.00, 118.42, 113.13, 79.31, 50.65; **^{19}F NMR (377 MHz, $CDCl_3$)** δ -62.93; **HRMS (ESI)** for $C_{19}H_{13}F_6NO_2$: calculated for $[M+Na]^+$ 424.0742, found 424.0240.



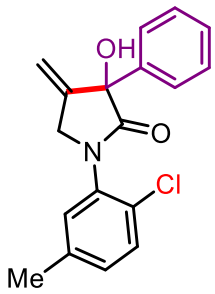
1-(3-acetylphenyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4h-a): Yield: 68% (83.5 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.19 – 8.12 (m, 2H), 7.78 (d, *J* = 7.7 Hz, 1H), 7.55 – 7.48 (m, 3H), 7.40 – 7.29 (m, 3H), 5.65 (d, *J* = 1.9 Hz, 1H), 5.48 (d, *J* = 1.7 Hz, 1H), 4.50 (d, *J* = 2.9 Hz, 2H), 3.38 (s, 1H), 2.63 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 197.83, 173.65, 142.64, 140.23, 139.14, 137.92, 129.58, 128.81, 125.62, 125.30, 124.56, 118.68, 112.23, 79.26, 50.86, 26.85; **HRMS (ESI)** for $C_{19}H_{17}NO_3$: calculated for $[M+Na]^+$ 330.1101, found 330.1106.



Ethyl-3-(3-hydroxy-4-methylene-2-oxo-3-phenylpyrrolidin-1-yl)benzoate (4h-b): Yield: 48% (64.7 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.21 (ddd, *J* = 8.3, 2.4, 1.1 Hz, 1H), 8.10 (t, *J* = 2.0 Hz, 1H), 7.87 (dt, *J* = 7.8, 1.3 Hz, 1H), 7.57 – 7.44 (m, 3H), 7.41 – 7.28 (m, 3H), 5.65 (t, *J* = 2.0 Hz, 1H), 5.47 (t, *J* = 1.8 Hz, 1H), 4.55 – 4.33 (m, 4H), 2.82 (s, 1H), 1.40 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 173.52, 166.22, 142.73, 140.30, 138.81, 131.48, 129.37, 128.96, 128.77, 126.37, 125.63, 124.60, 119.98, 112.11, 61.46, 50.86, 14.46. **HRMS (ESI)** for $C_{20}H_{19}NO_4$: calculated for $[M+Na]^+$ 360.1206, found 360.1210.

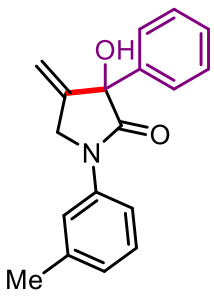


1-(2-chlorophenyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4i): Yield: 56% (67.1 mg); **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.63 – 7.55 (m, 2H), 7.50 (td, *J* = 8.0, 1.8 Hz, 1H), 7.42 – 7.26 (m, 4H), 7.22 – 7.13 (m, 2H), 5.59 (dd, *J* = 2.6, 1.6 Hz, 1H), 5.38 – 5.33 (m, 1H), 4.50 (dtd, *J* = 13.3, 2.4, 1.0 Hz, 1H), 4.36 (dt, *J* = 13.3, 1.6 Hz, 1H), 3.75 (s, 1H); **^{13}C NMR (126 MHz, CDCl$_3$)** δ 173.84, 158.13, 156.13, 144.09, 140.72, 129.10, 129.03, 128.82, 128.46, 127.91, 125.44, 124.76, 116.91, 116.75, 111.58, 78.33, 52.42; **HRMS (ESI)** for $C_{17}H_{14}ClNO_2$: calculated for $[M+Na]^+$ 322.0605, found 322.0641.



1-(2-chloro-5-methylbenzyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4j-a): Yield: 30% (37.6 mg); **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.68 – 7.55 (m, 2H), 7.41 – 7.29 (m, 4H), 7.22 (d, *J* = 8.0 Hz, 1H), 7.13 (dd, *J* = 8.1, 1.8 Hz, 1H), 5.57 (t, *J* = 2.2 Hz, 1H), 5.37 (t, *J* = 1.9 Hz, 1H), 4.49 (dt, *J* = 13.2, 2.3 Hz, 1H), 4.28 (dt, *J* = 13.2, 1.7 Hz, 1H), 3.63 (s, 1H), 2.35 (s, 3H); **^{13}C NMR (101 MHz, CDCl$_3$)** δ 173.93, 144.42, 140.81, 140.25, 132.52,

131.60, 131.04, 129.05, 128.76, 128.41, 125.70, 111.98, 78.24, 52.45, 21.06; **HRMS (ESI)** for $C_{18}H_{16}ClNO_2$: calculated for $[M+Na]^+$ 336.0761, found 336.0769.



3-hydroxy-1-(3-methylbenzyl)-4-methylene-3-phenylpyrrolidin-2-one (4j-b): Yield: 32% (34.6 mg); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.63 – 7.57 (m, 2H), 7.55 – 7.49 (m, 2H), 7.37 – 7.30 (m, 3H), 7.20 (d, *J* = 8.3 Hz, 2H), 5.62 (t, *J* = 2.1 Hz, 1H), 5.41 (d, *J* = 1.8 Hz, 1H), 4.41 (q, *J* = 2.2 Hz, 2H), 3.53 (s, 1H), 2.35 (s, 3H); **^{13}C NMR (101 MHz, $CDCl_3$)** δ 173.03, 143.27, 140.76, 136.12, 135.25, 129.74, 128.86, 128.56, 125.57, 125.41, 119.95, 111.55, 79.18, 50.99, 21.04; **HRMS (ESI)** for $C_{18}H_{17}NO_2$: calculated for $[M+Na]^+$ 302.1151, found 302.1161.

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(5) Hu, J. X.; Wu, H.; Li, C. Y.; Sheng, W. J.; Jia, Y. X.; Gao, J. R. Nickel-catalyzed intramolecular nucleophilic addition of aryl or vinyl chlorides to alpha-ketoamides through C-Cl bond activation. *Chemistry* **2011**, *17* (19), 5234-5237.

(6) Nasim, A.; Thomas, G. T.; Ovens, J. S.; Newman, S. G. Reductive 1,2-Arylation of Isatins. *Org Lett* **2022**, *24* (39), 7232-7236.

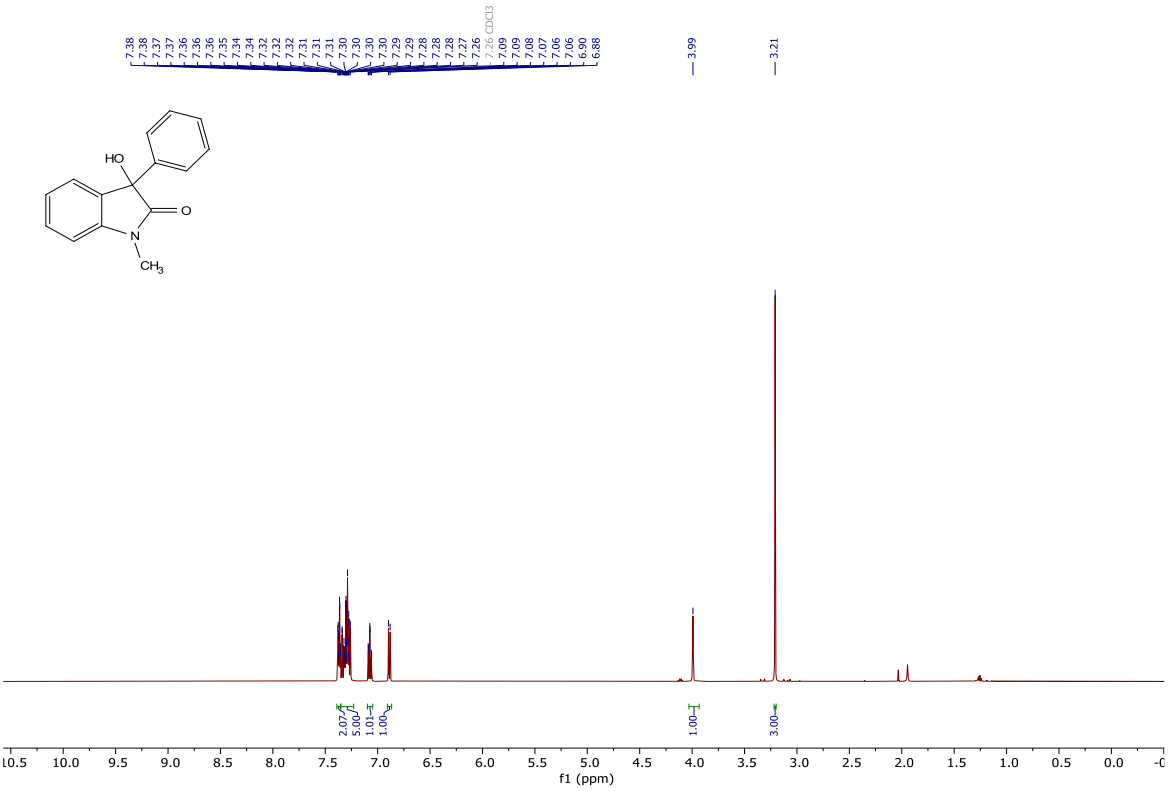
(7) Zheng, W.-X.; Tang, R.-Y.; Liao, Y.-Y.; Xu, L. Palladium-Catalyzed Selective Synthesis of 3-Hydroxy-2-oxindoles via Cascade C–H Cycloaddition and Oxidation of α-Aminoaceto-phenones. *Synthesis* **2018**, *50* (23), 4645-4650.

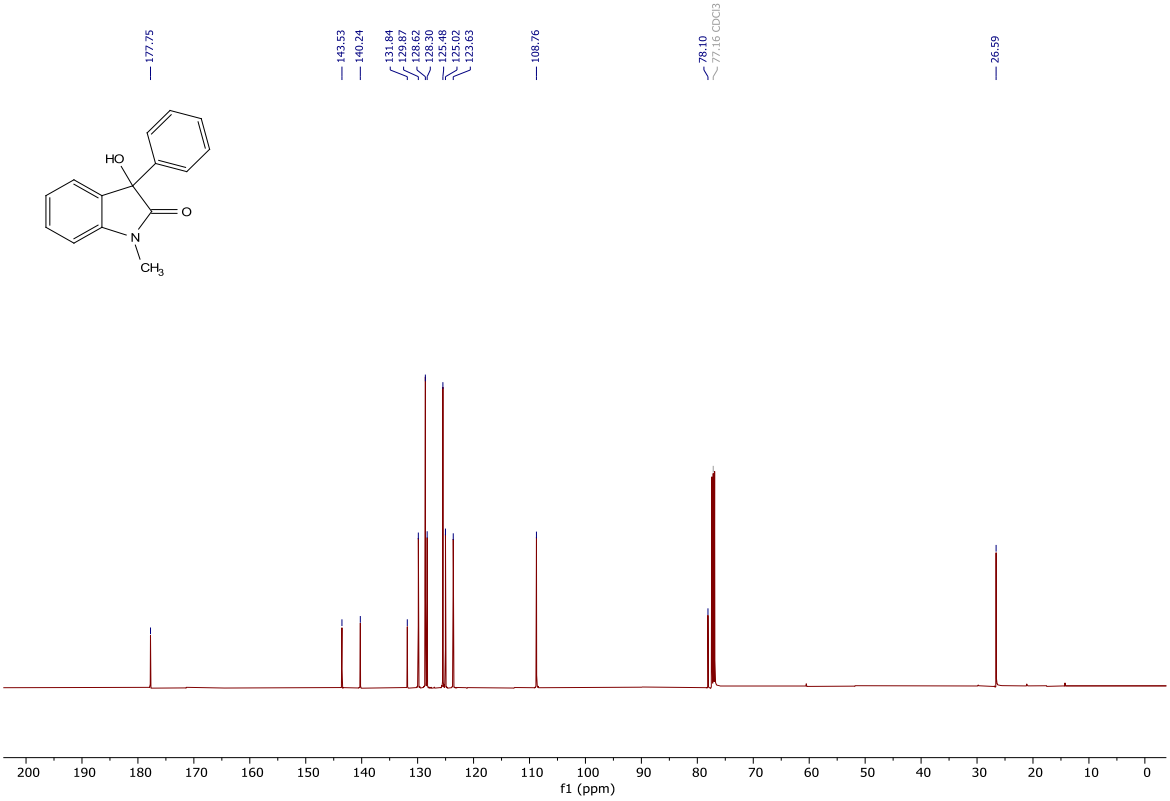
(8) Solanke, P. R.; Cinsani, R.; Nayani, K.; Mainkar, P. S.; Chandrasekhar, S. Quaternary carbon construction through Piancatelli rearrangement: easy access to spirocyclopentenones. *Chem Commun* **2022**, *58* (36), 5530-5533.

(9) He, J.-Q.; Chen, C.; Yu, W.-B.; Liu, R.-R.; Xu, M.; Li, Y.-J.; Gao, J.-R.; Jia, Y.-X. Nickel-catalyzed intramolecular addition of vinyl or aryl bromides to ketoamides. *Tetrahedron Letters* **2014**, *55* (17), 2805-2808.

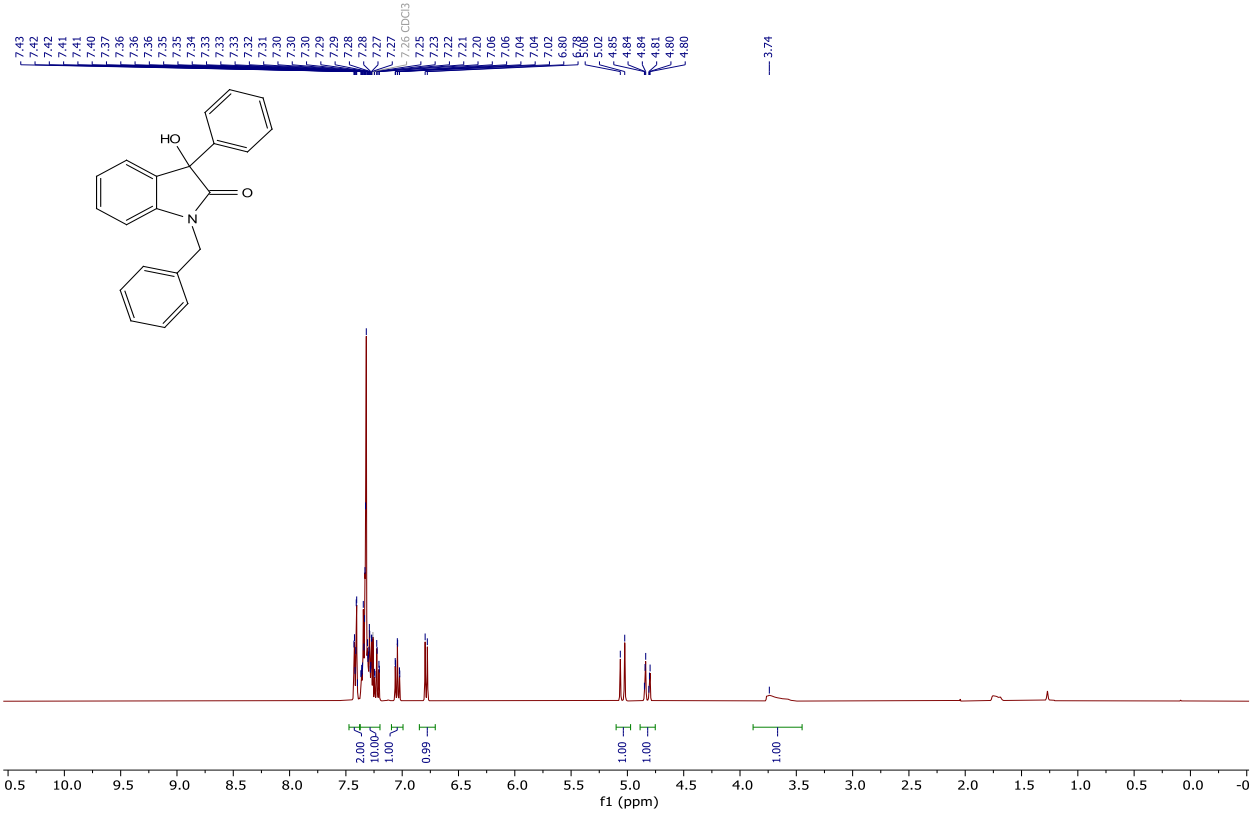
^{1}H and ^{13}C NMR Spectra:

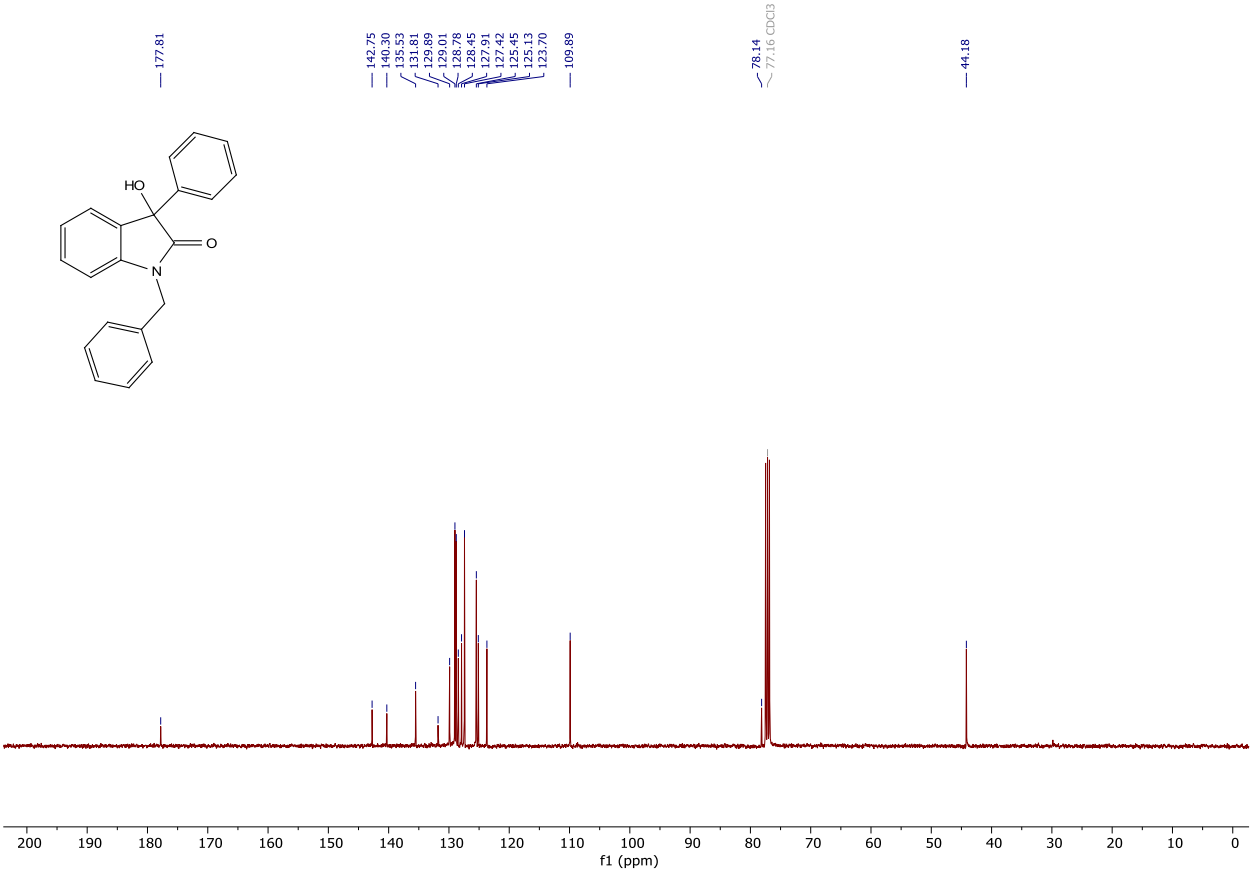
3-hydroxy-1-methyl-3-phenylindolin-2-one (2a):



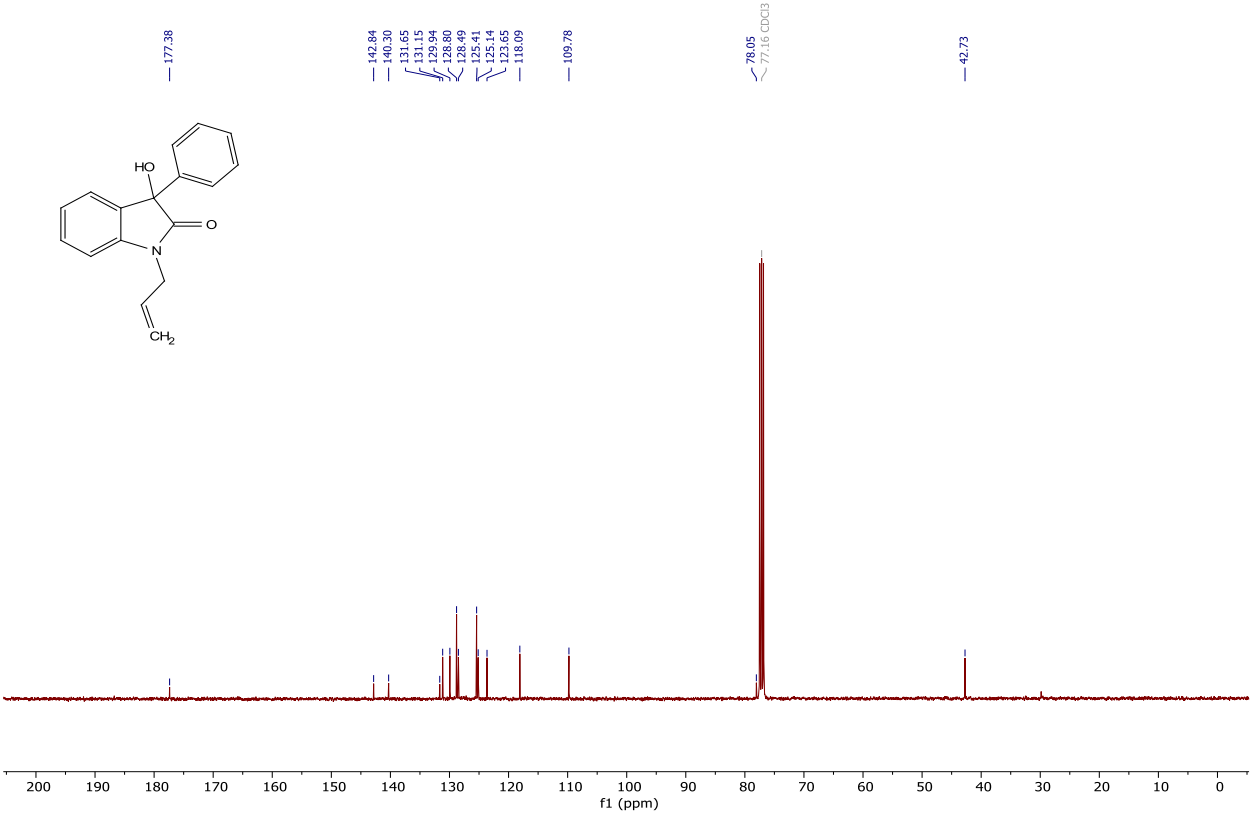


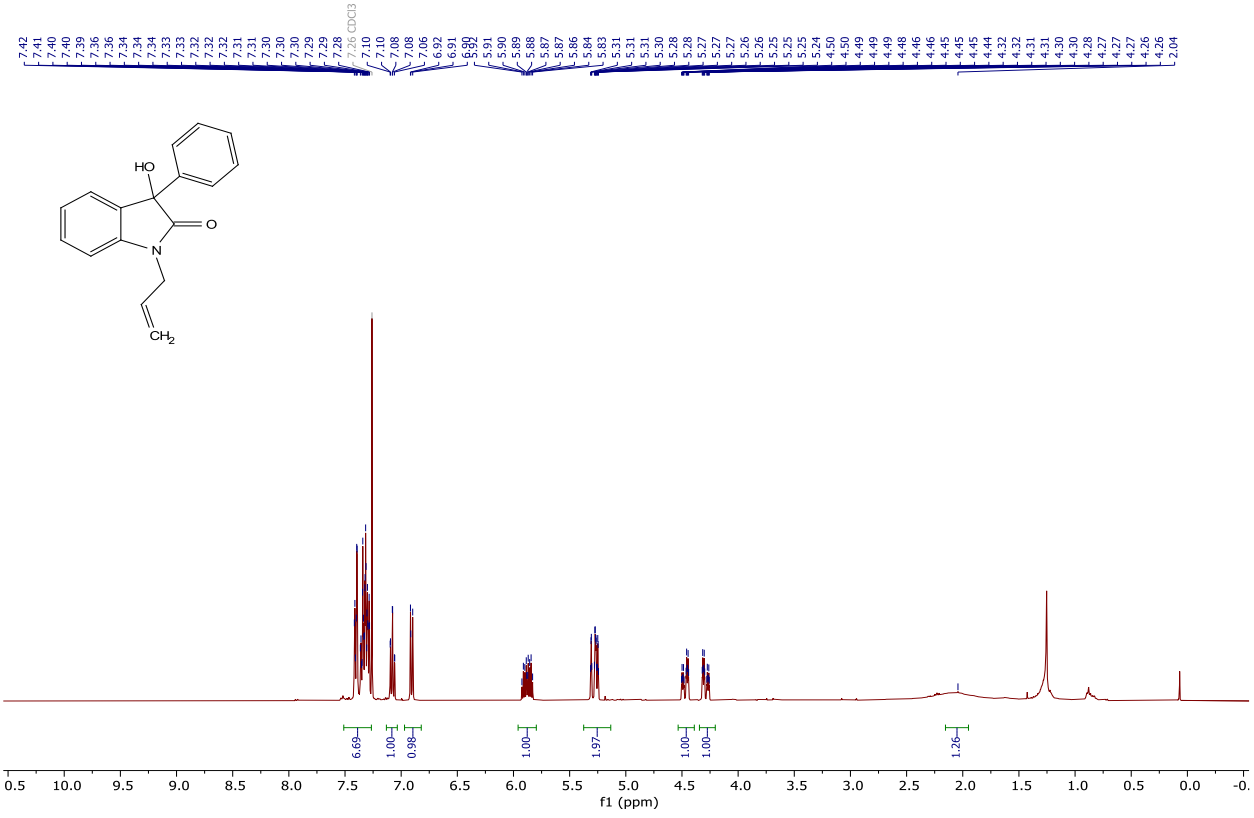
1-benzyl-3-hydroxy-3-phenylindolin-2-one (2b)





1-allyl-3-hydroxy-3-phenylindolin-2-one (2c):





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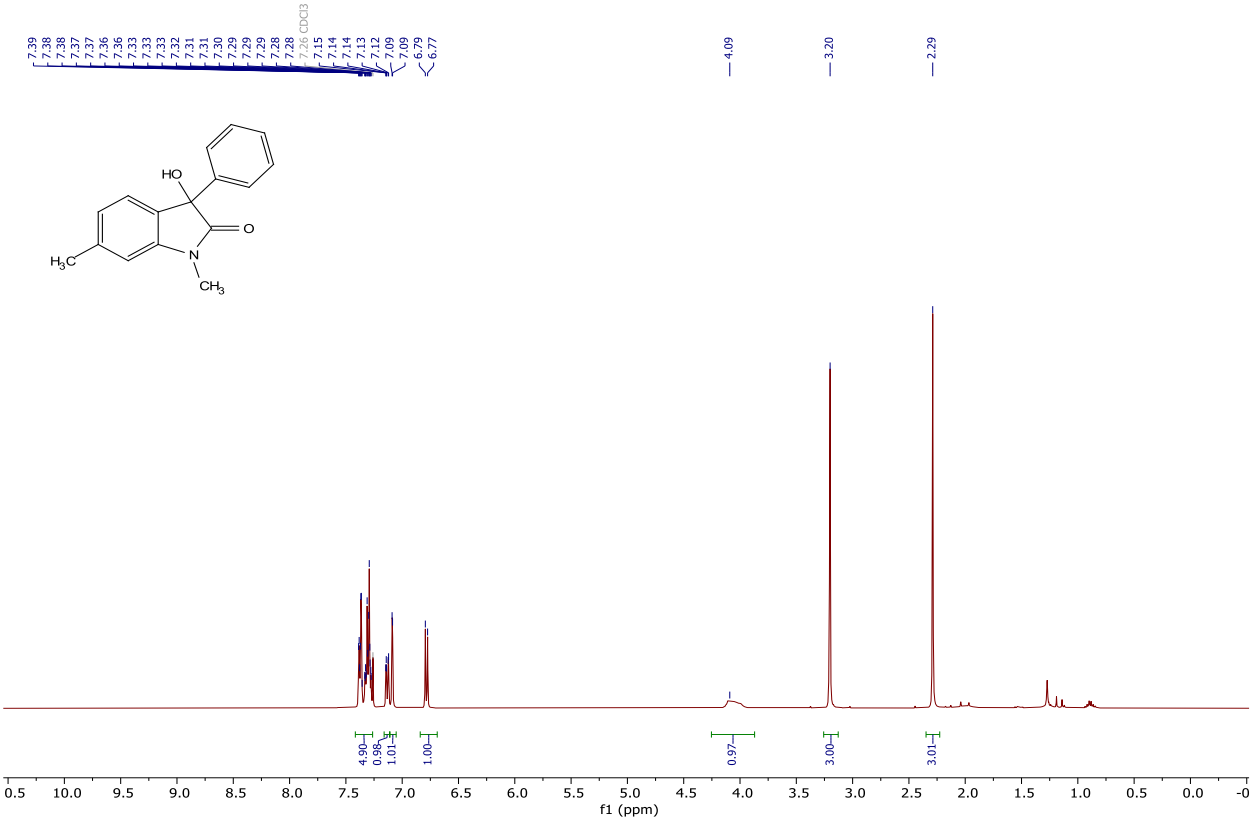
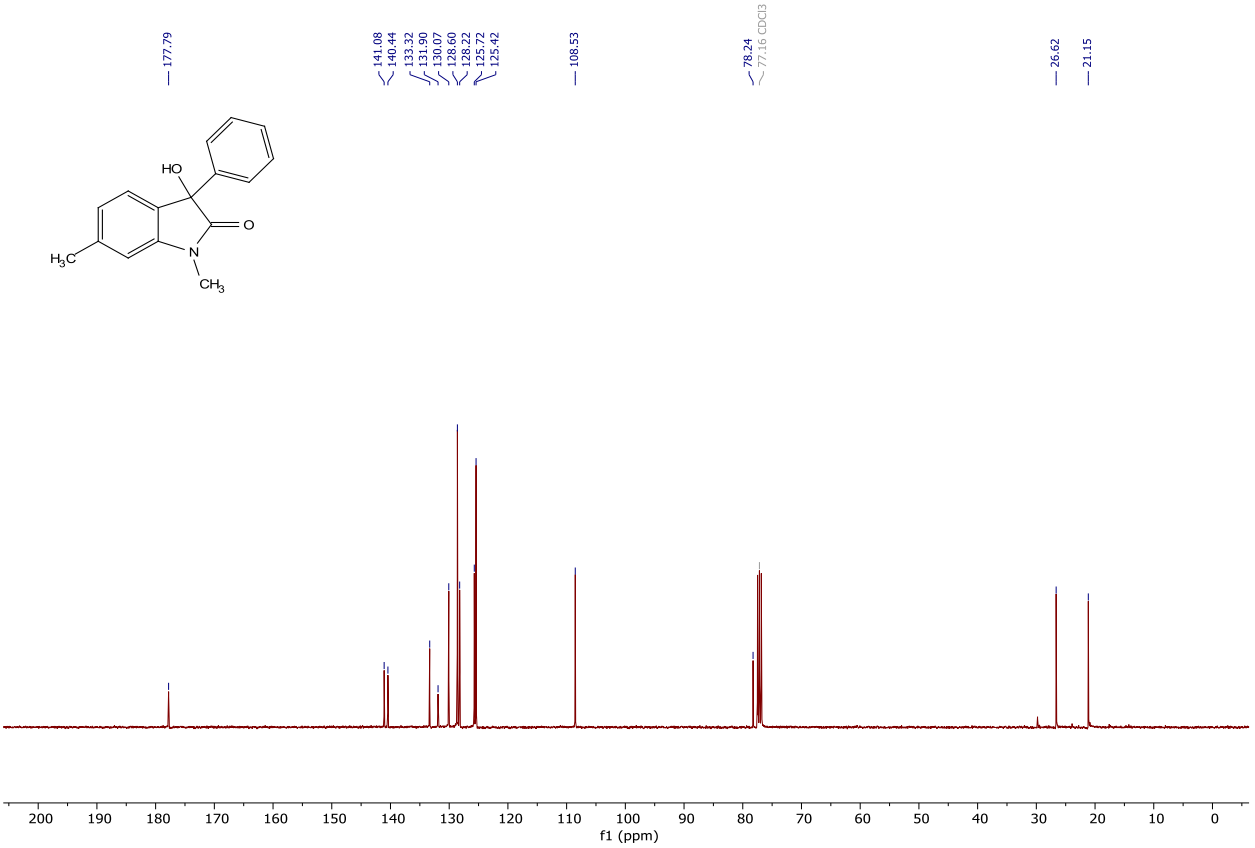
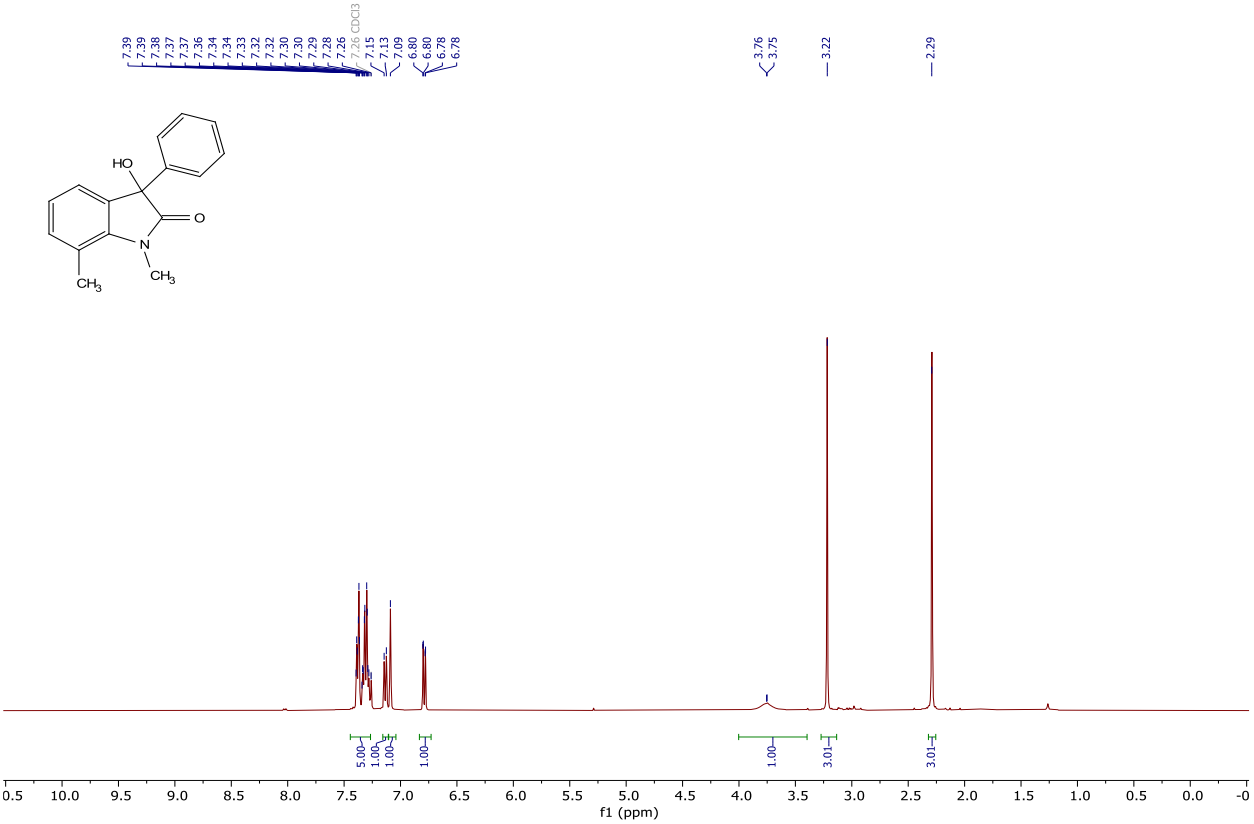
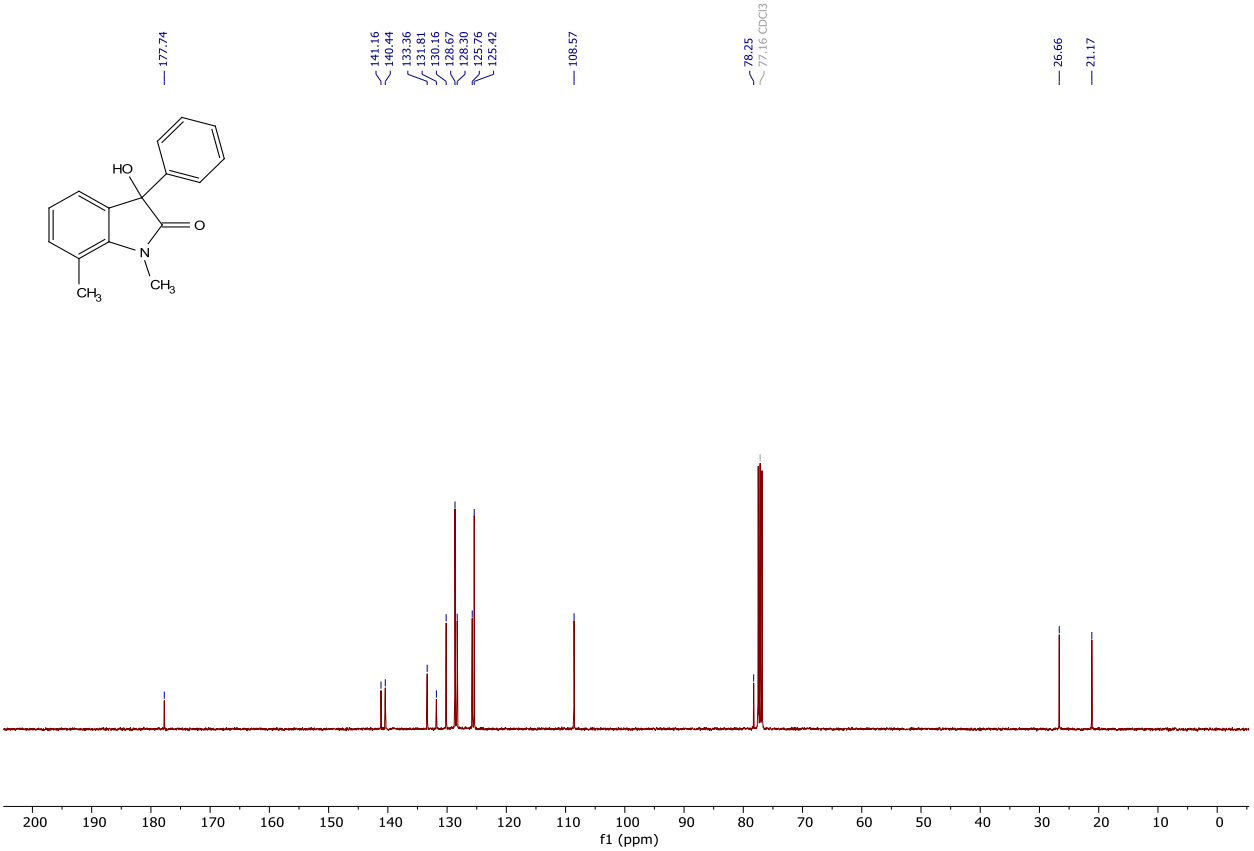




3-hydroxy-1,7-dimethyl-3-phenylindolin-2-one (2e):





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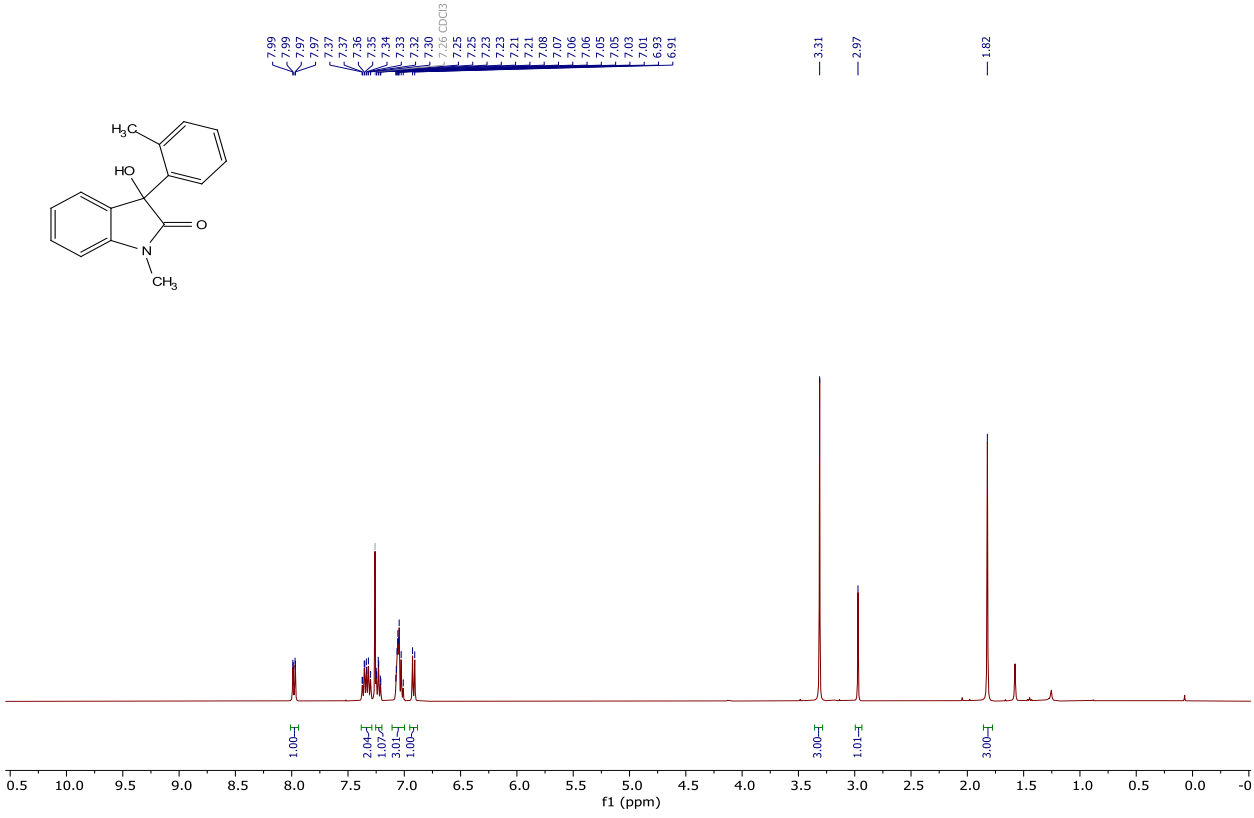
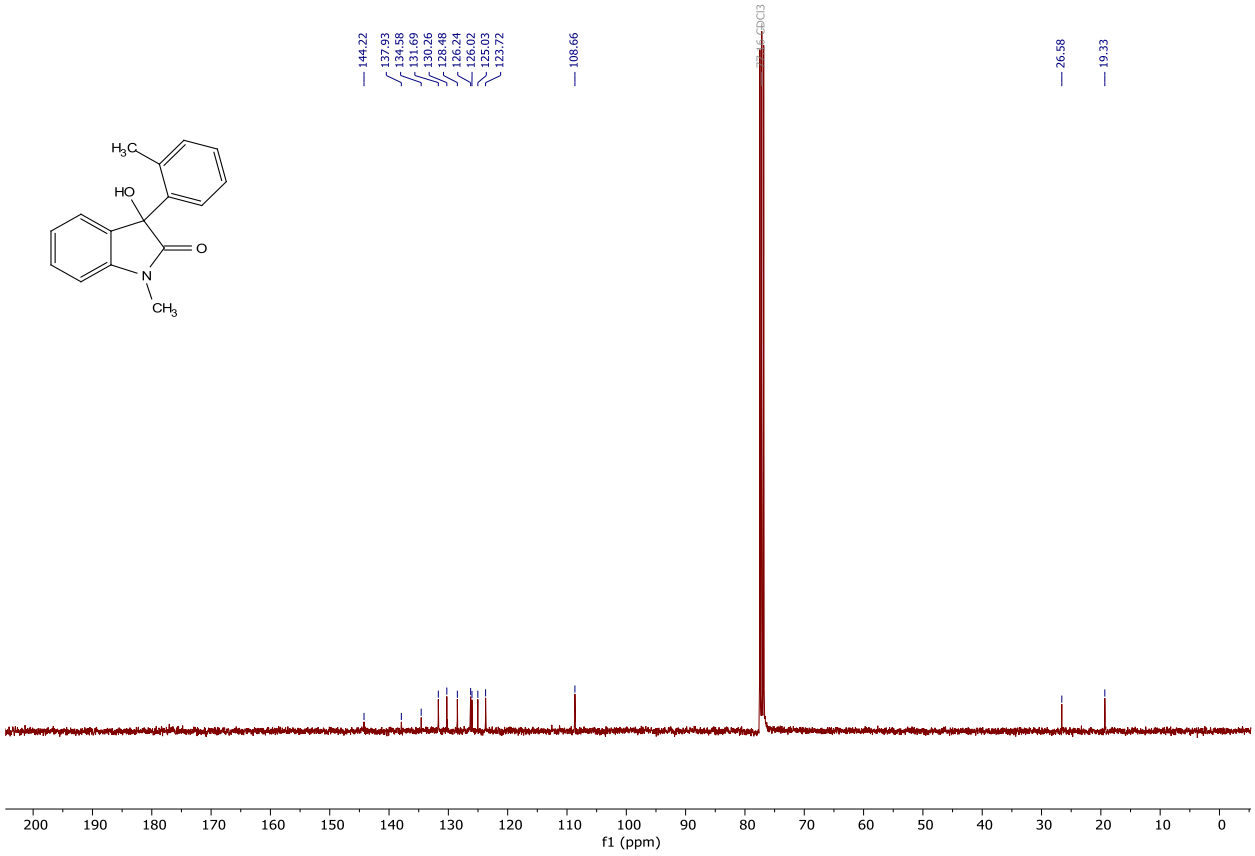
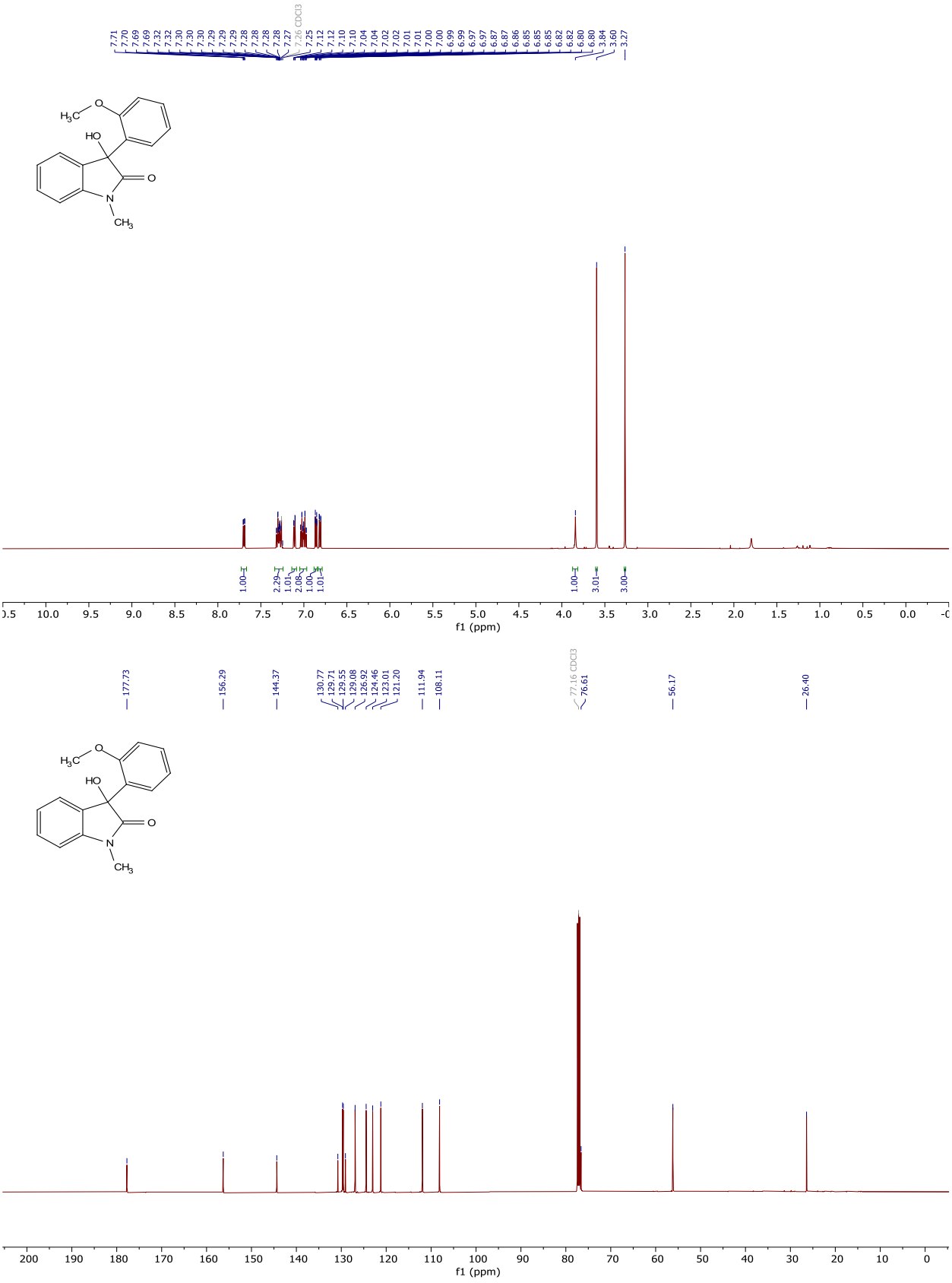
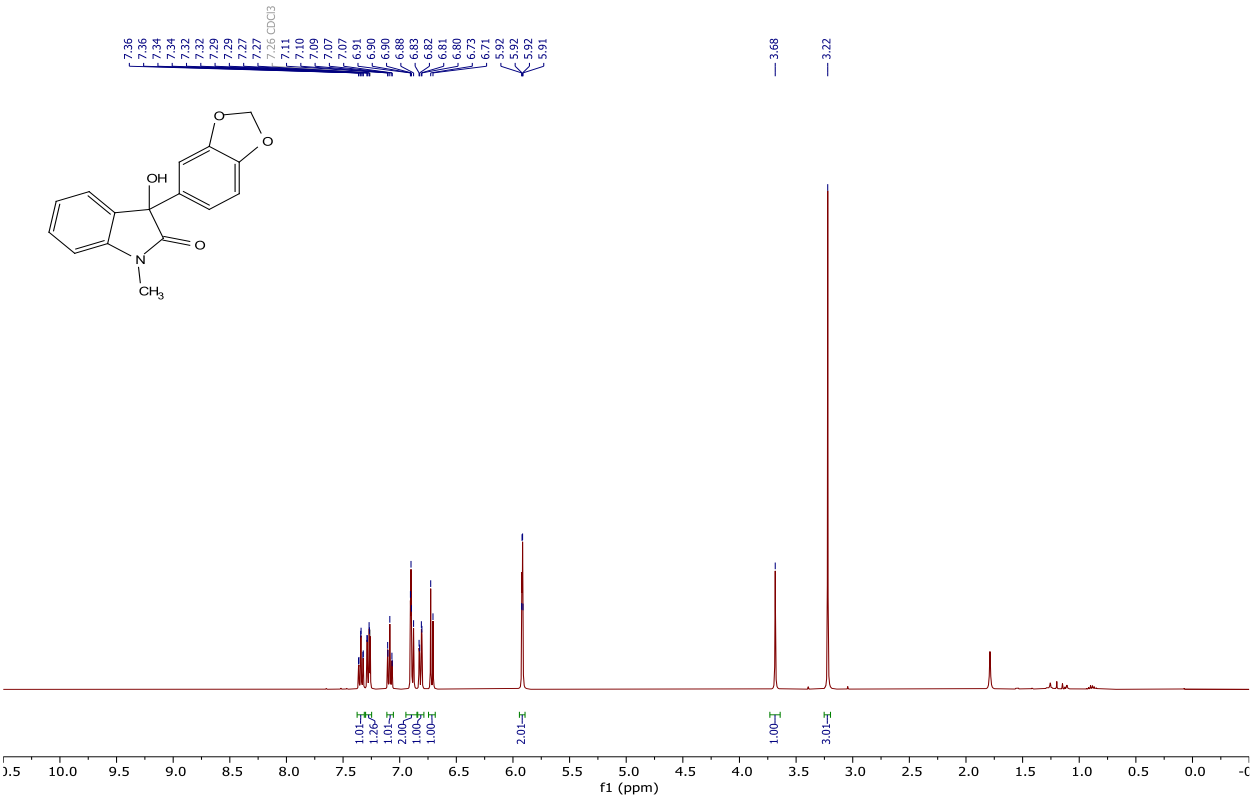


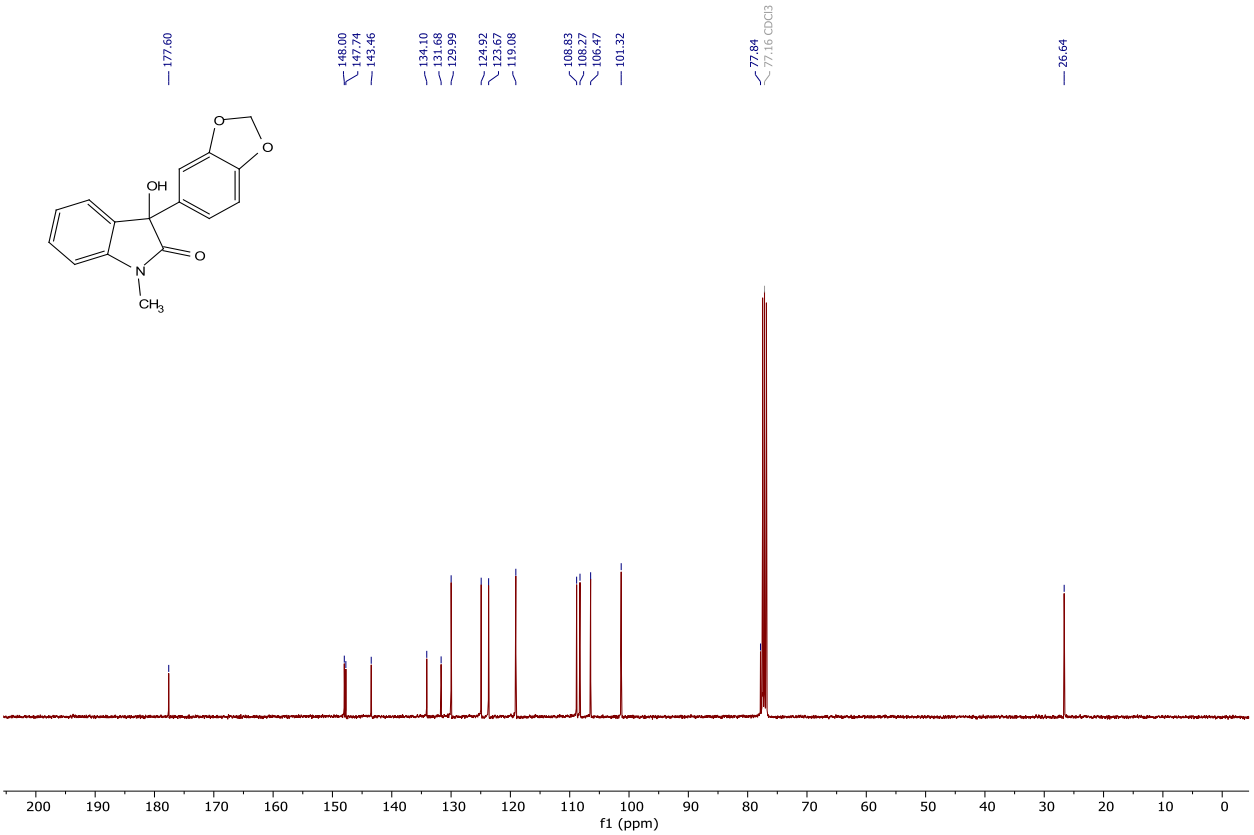


3-hydroxy-3-(2-methoxyphenyl)-1-methylindolin-2-one (2g):

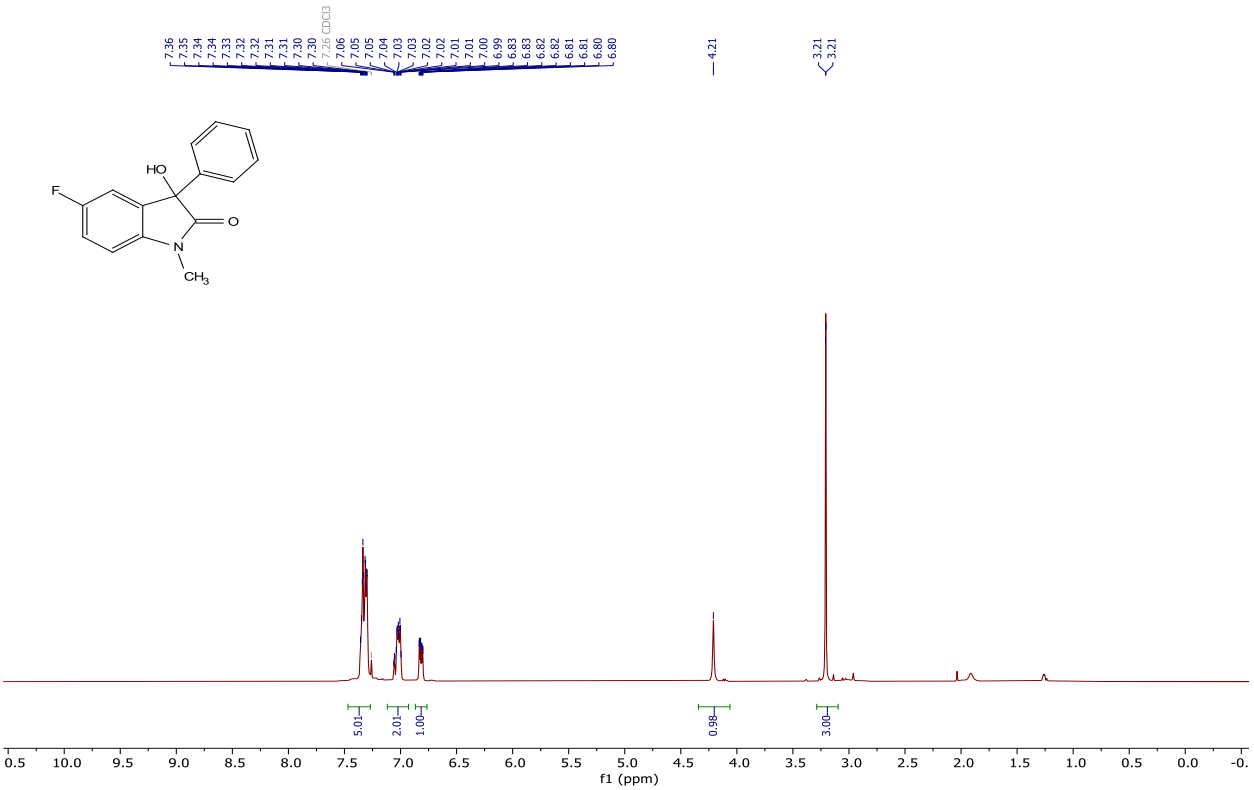


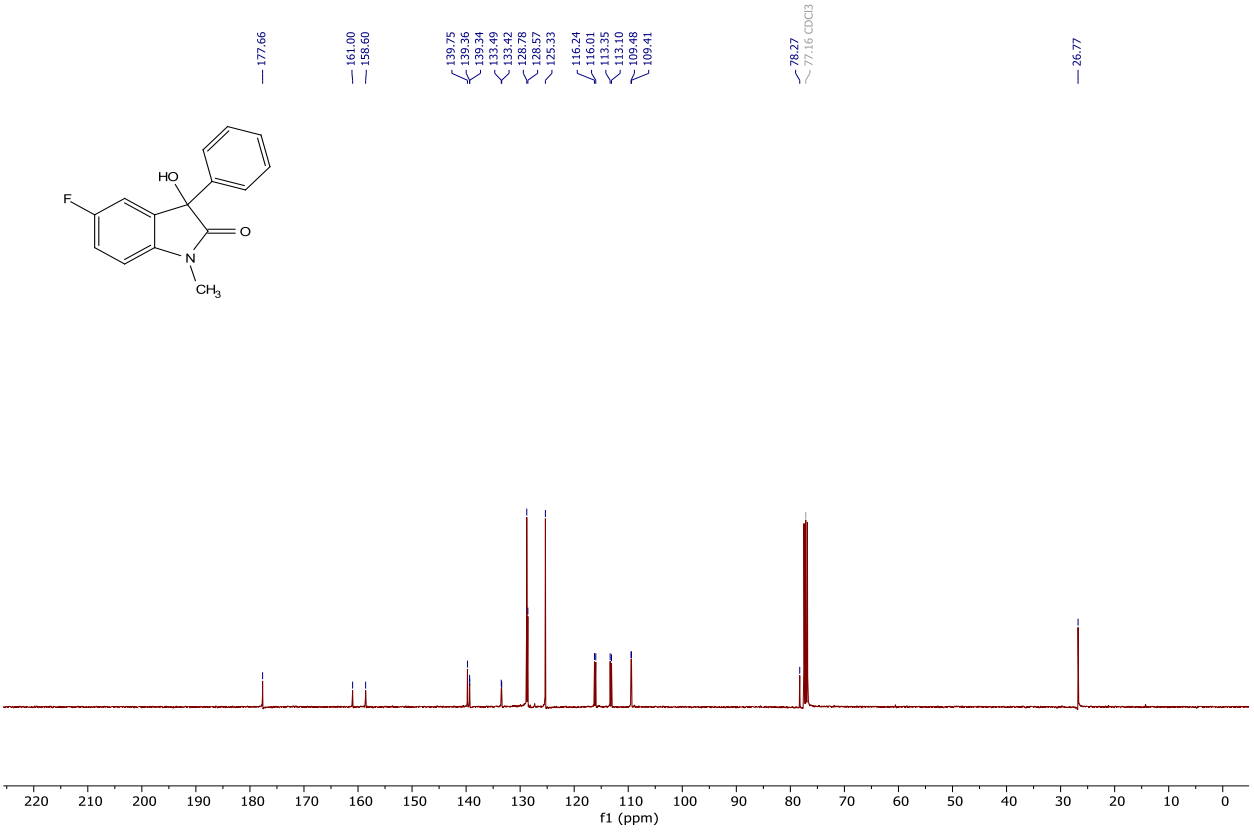
3-(benzo[d][1,3]dioxol-5-yl)-3-hydroxy-1-methylindolin-2-one (2h)

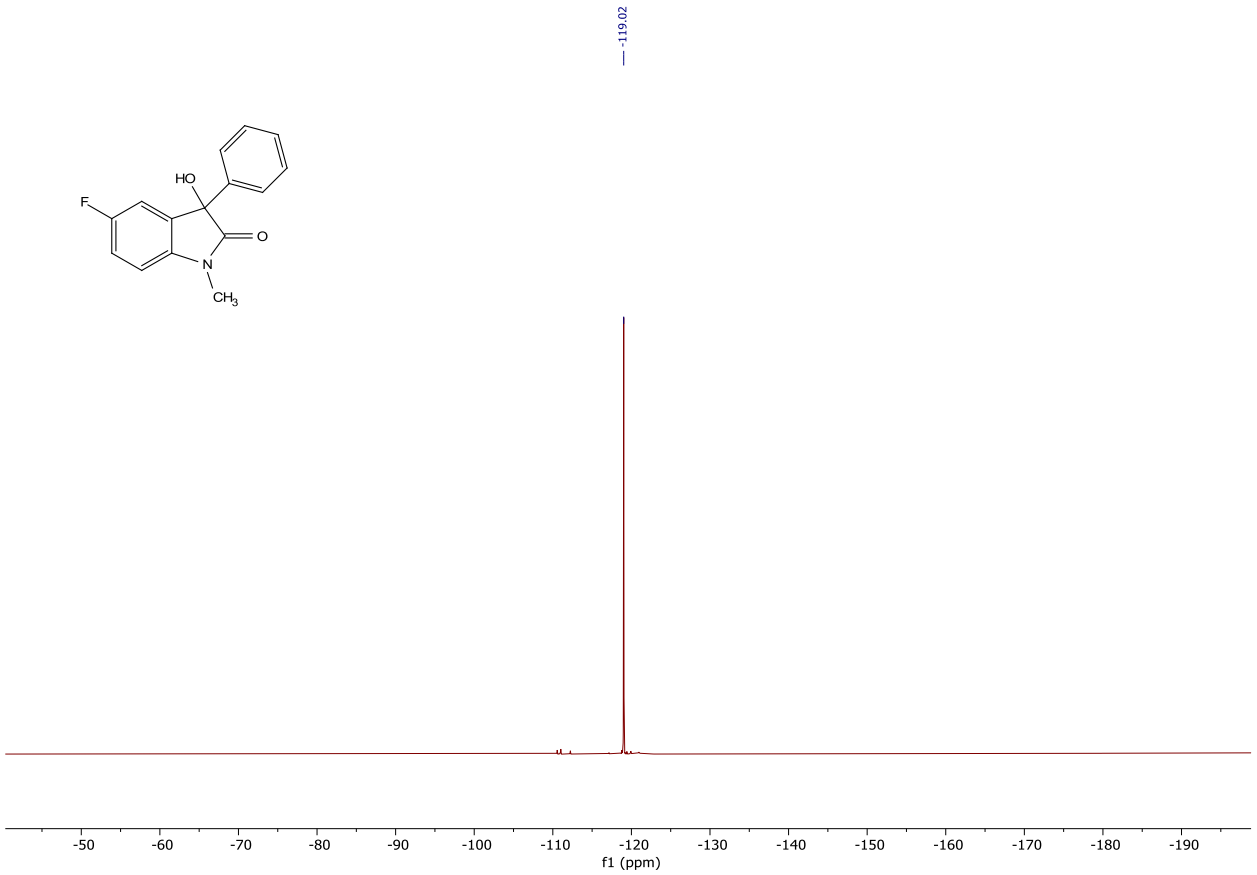




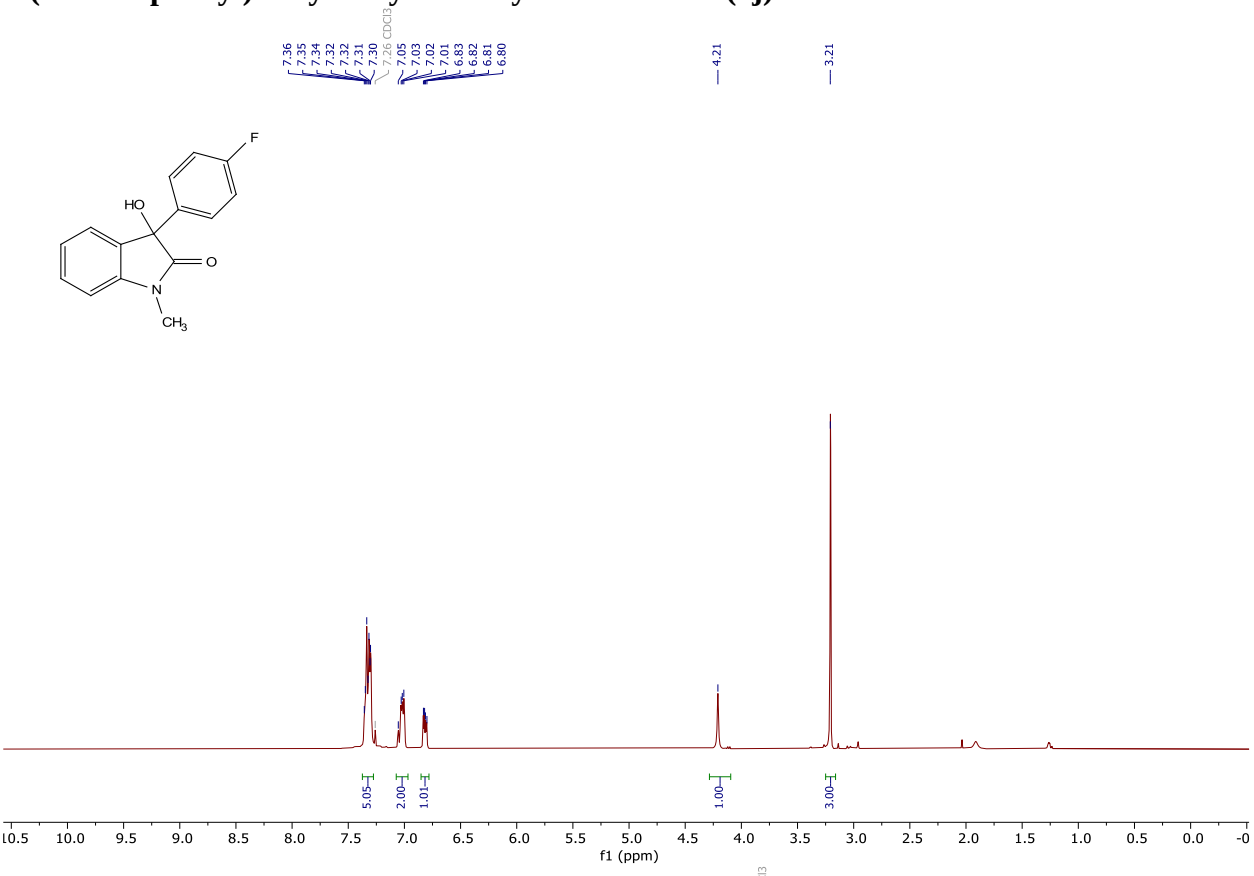
5-fluoro-3-hydroxy-1-methyl-3-phenylindolin-2-one (2i):

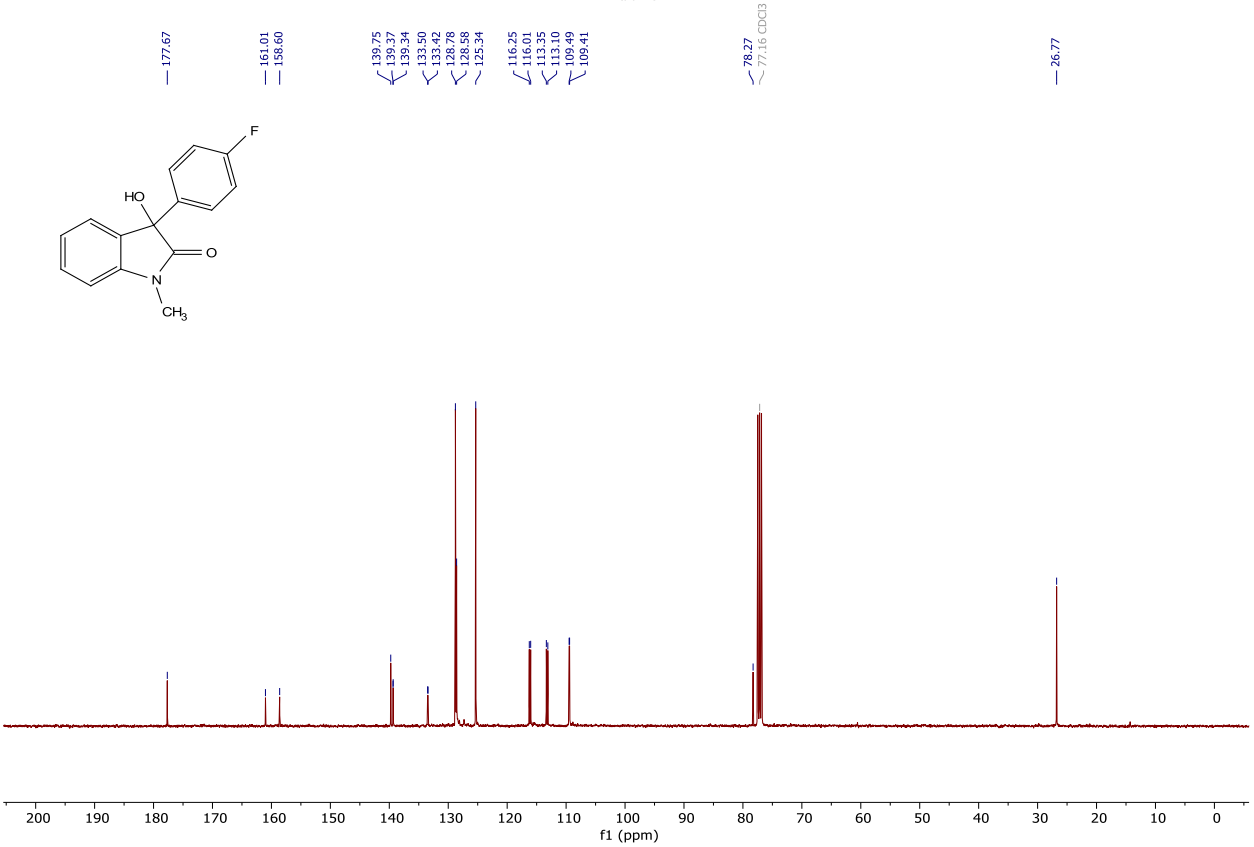


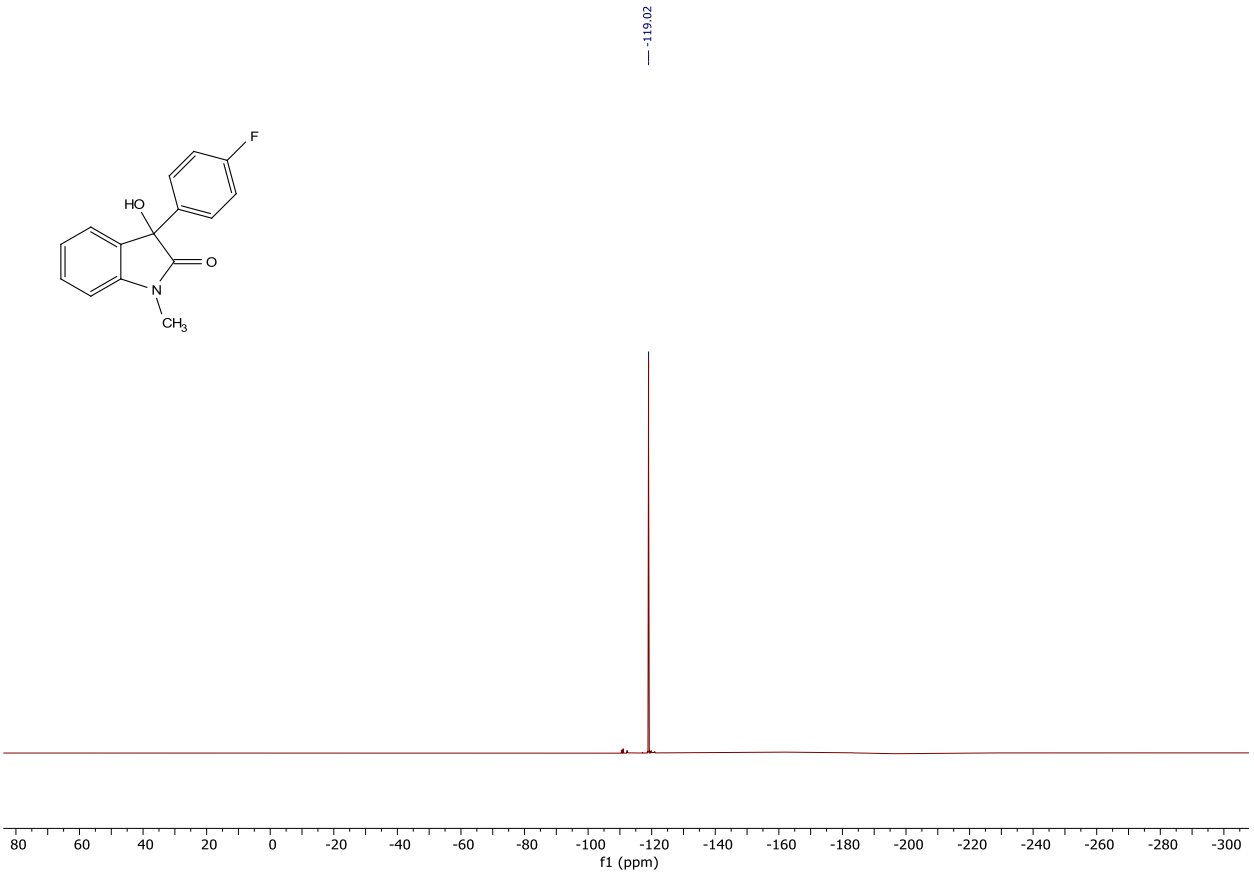



-119.02
HO
F
O
N
CH3
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
f1 (ppm)

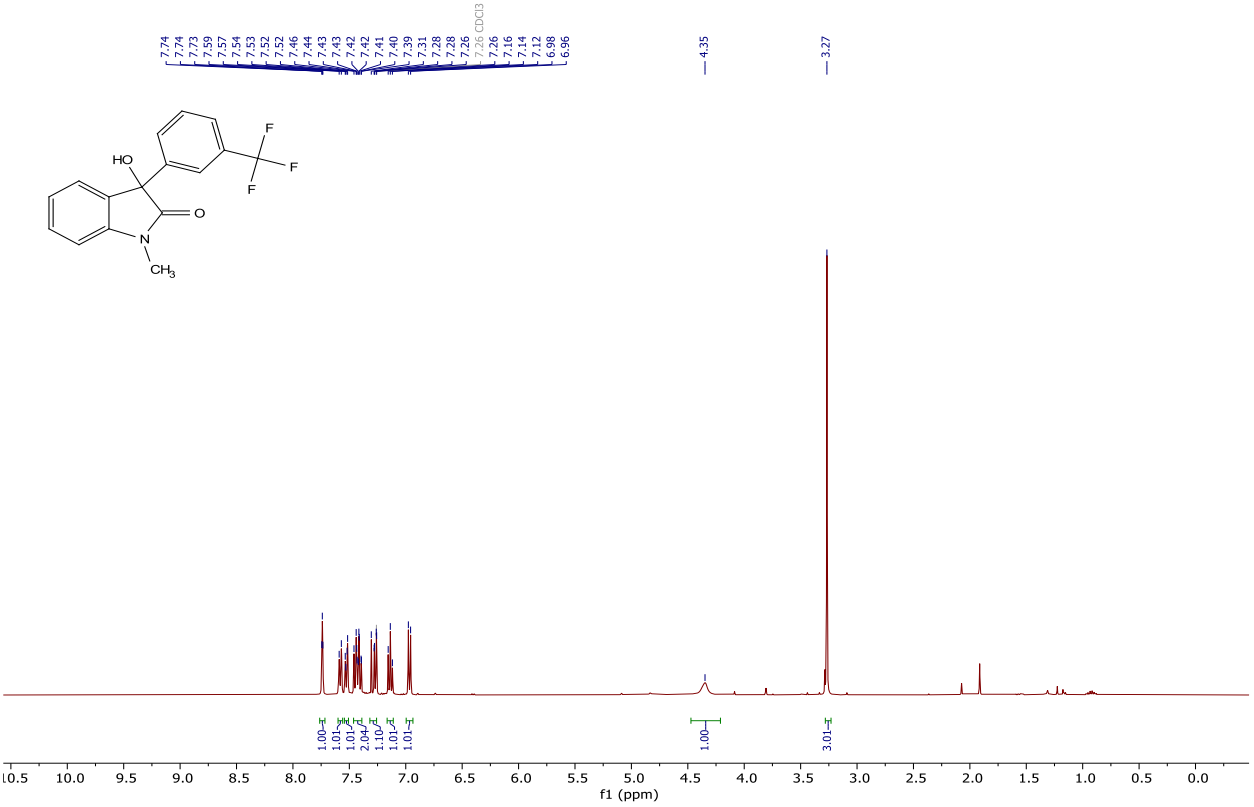
3-(4-fluorophenyl)-3-hydroxy-1-methylindolin-2-one (2j):

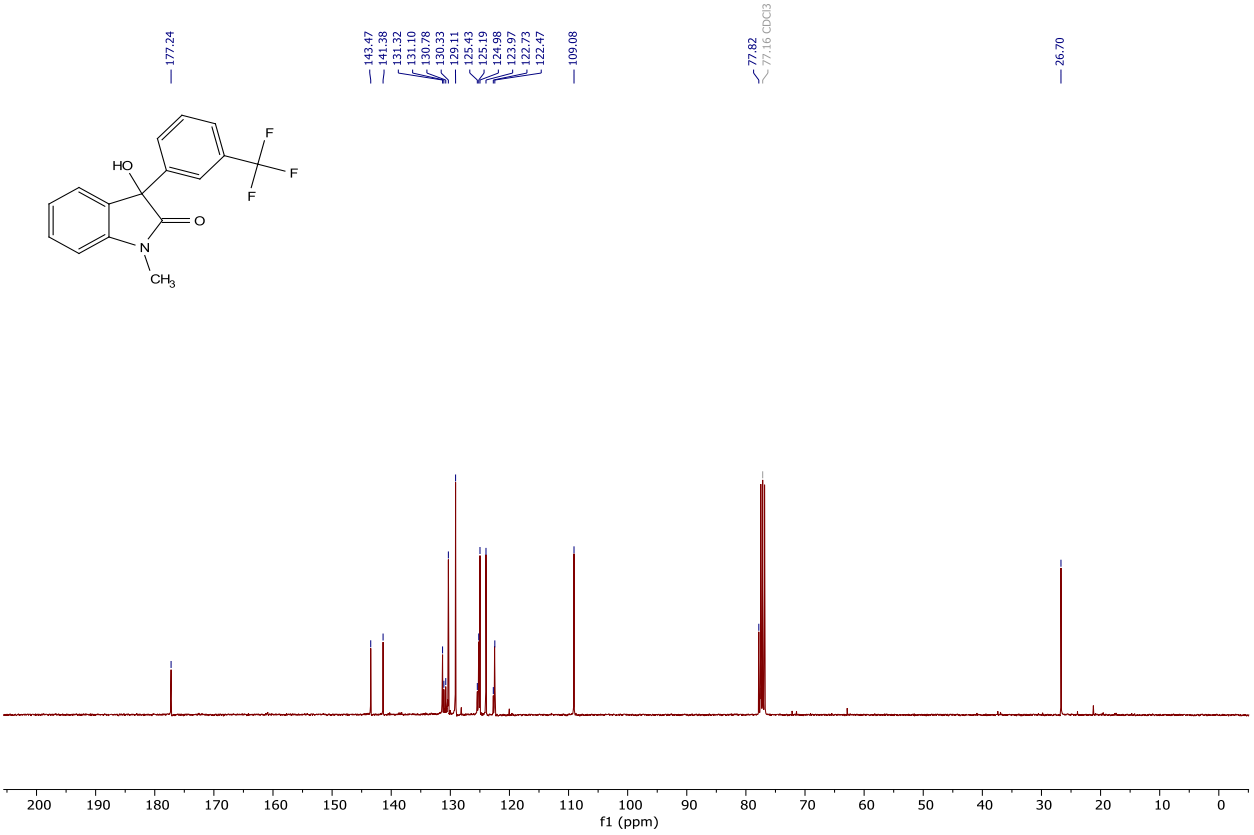


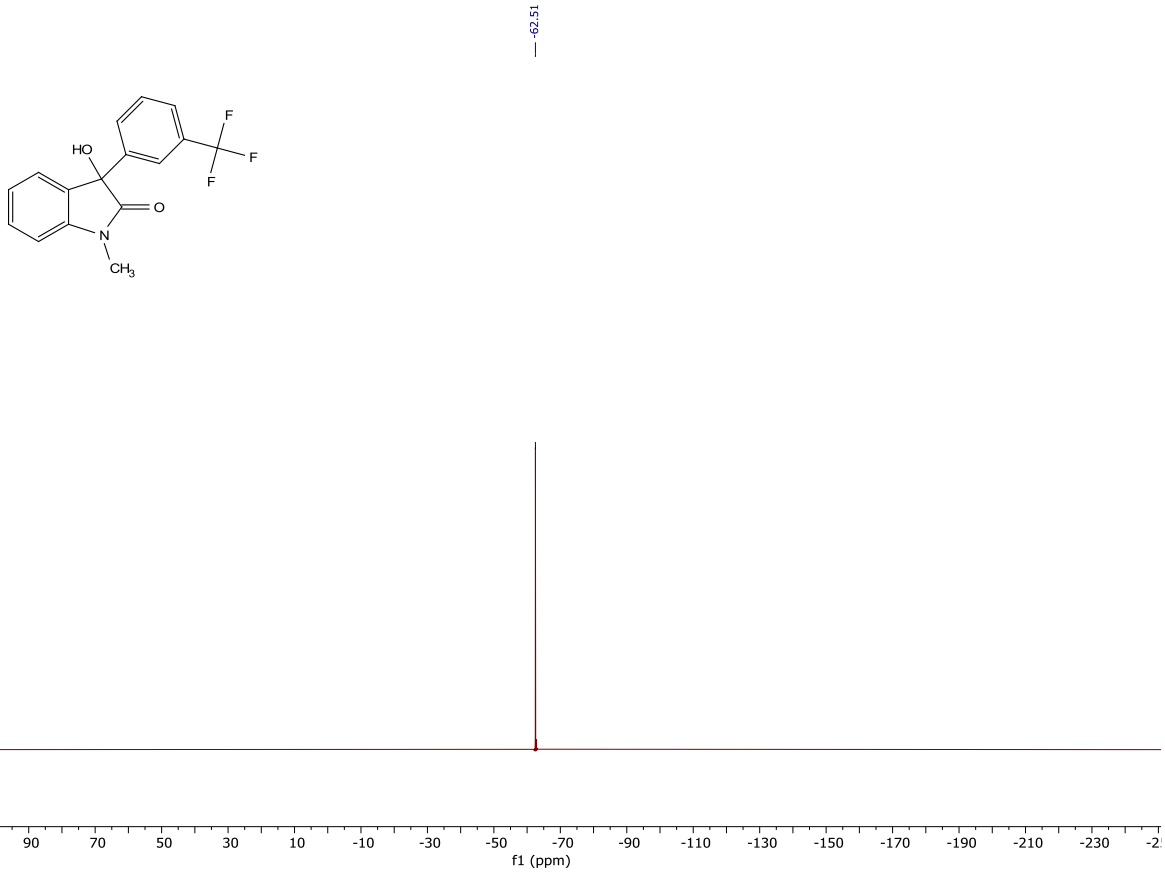



-119.02
F
HO
O
N
CH3
80
60
40
20
0
-20
-40
-60
-80
-100
-120
-140
-160
-180
-200
-220
-240
-260
-280
-300
f1 (ppm)

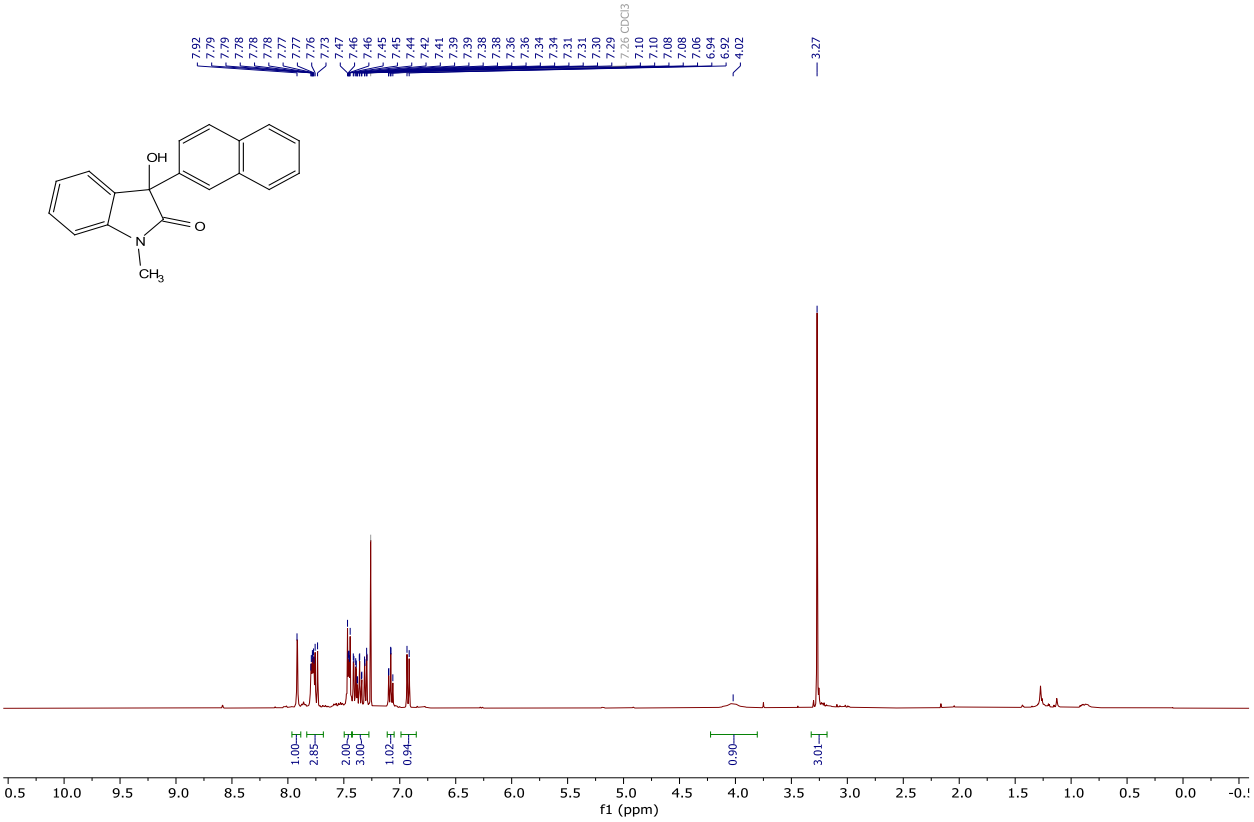
3-hydroxy-1-methyl-3-(3-(trifluoromethyl)phenyl)indolin-2-one (2k):

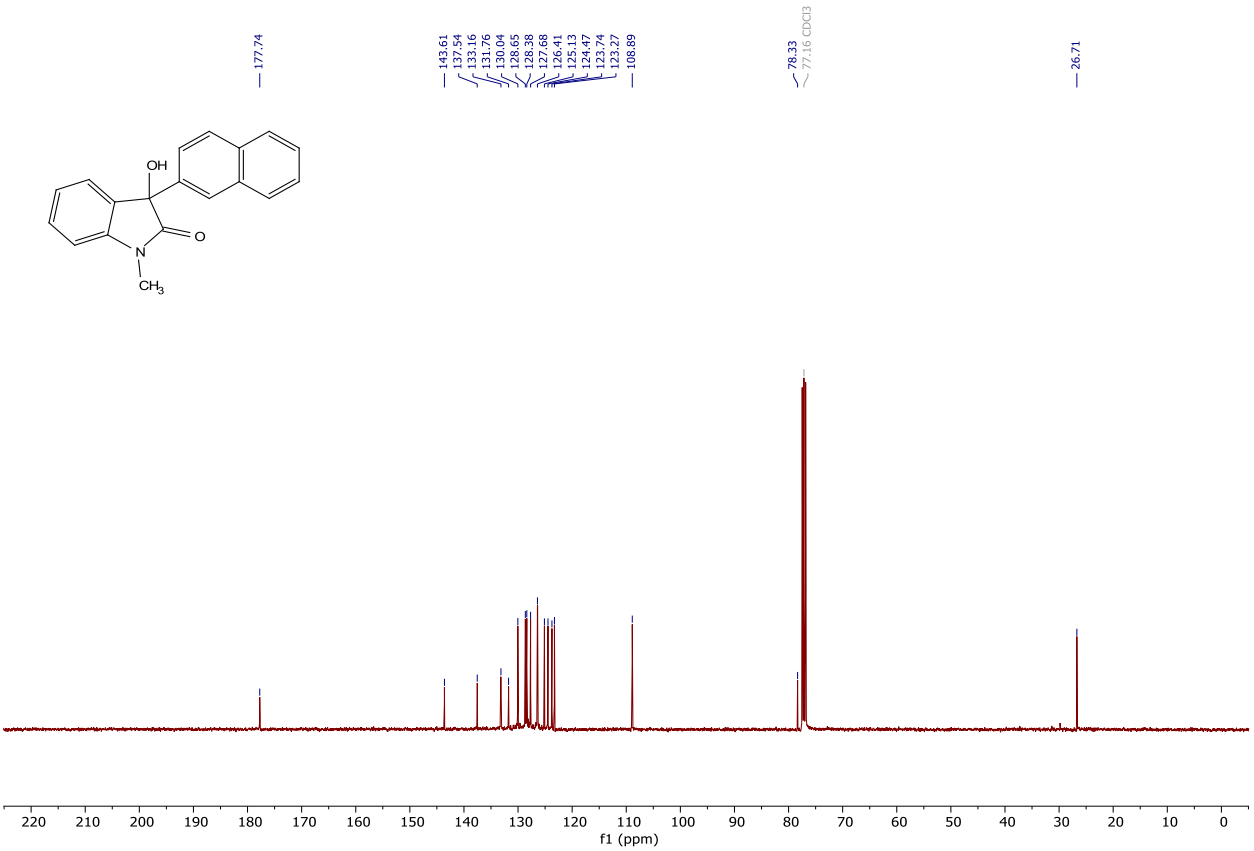




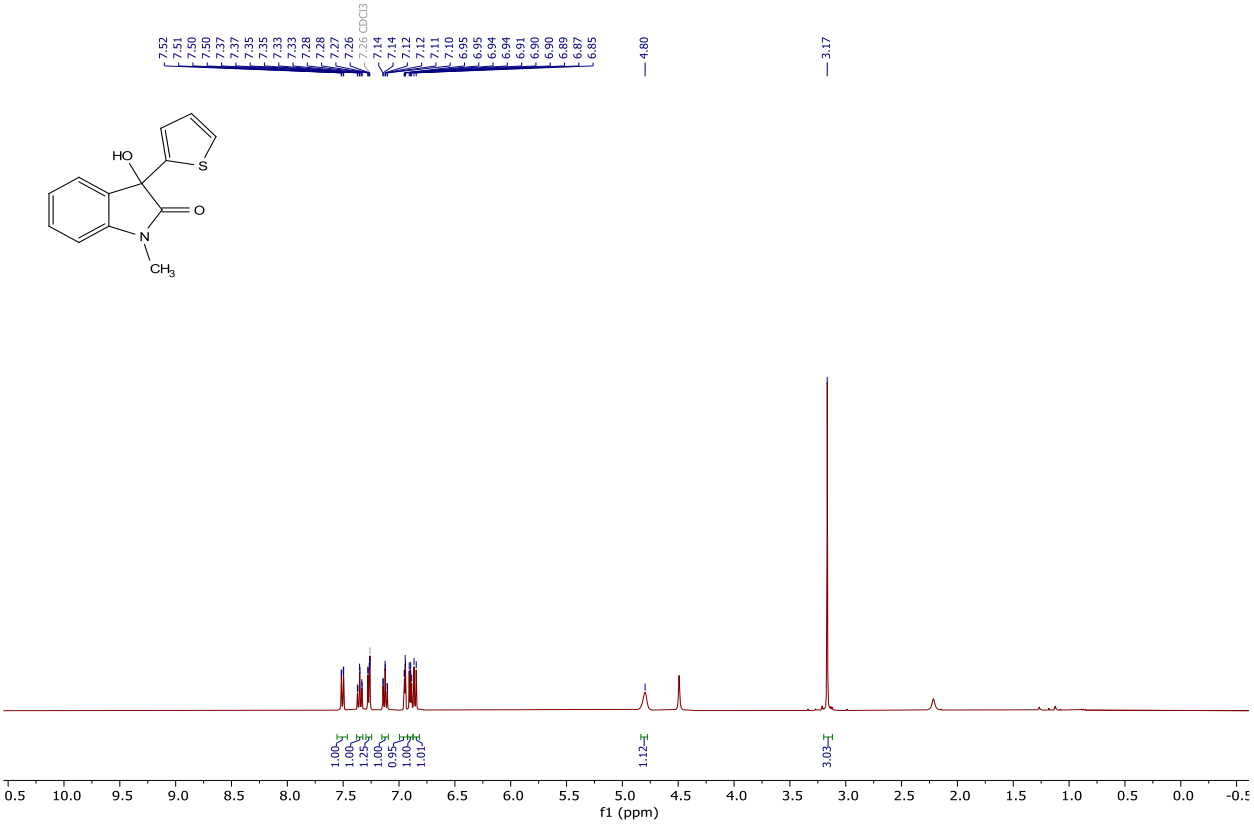

-62.51
HO
F
F
F
O
N
CH3
110
90
70
50
30
10
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
-230
f1 (ppm)

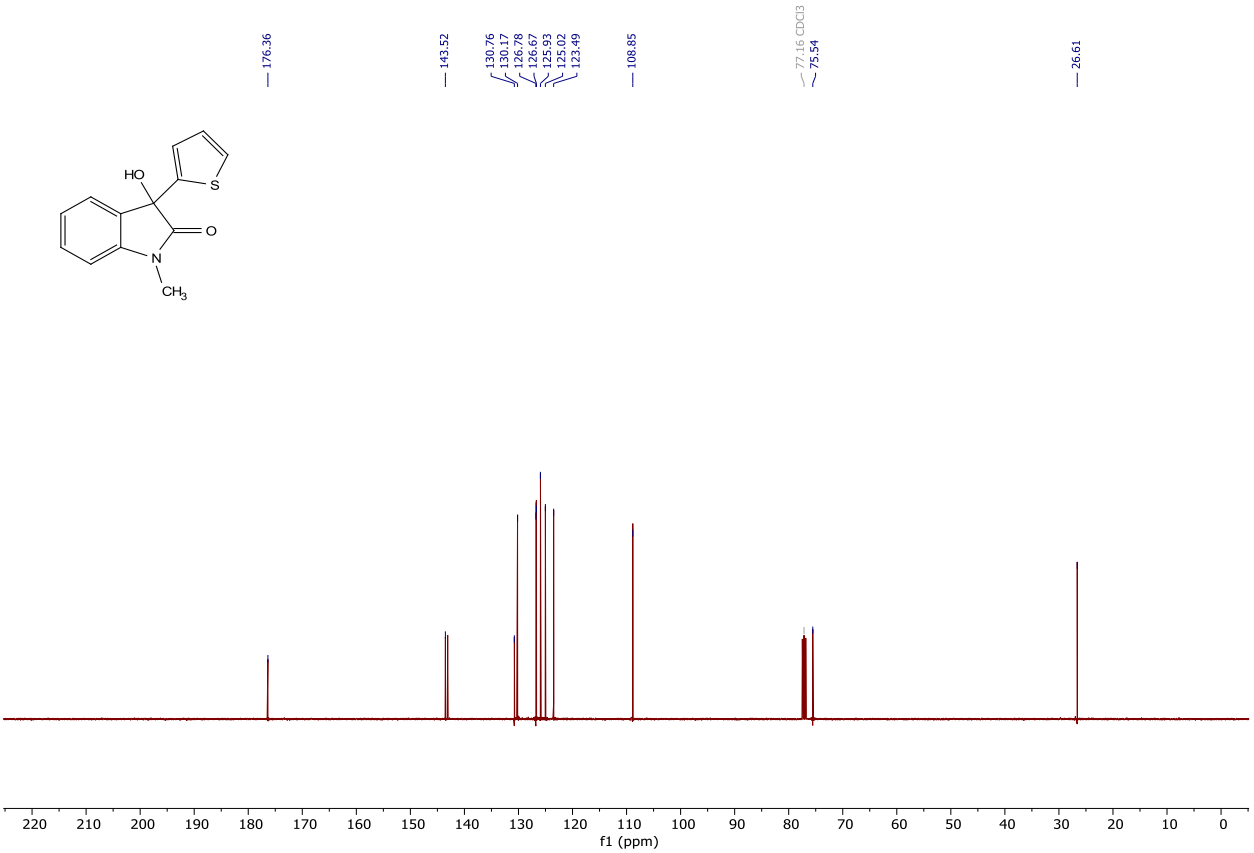
3-hydroxy-1-methyl-3-(naphthalen-2-yl)indolin-2-one (2l):



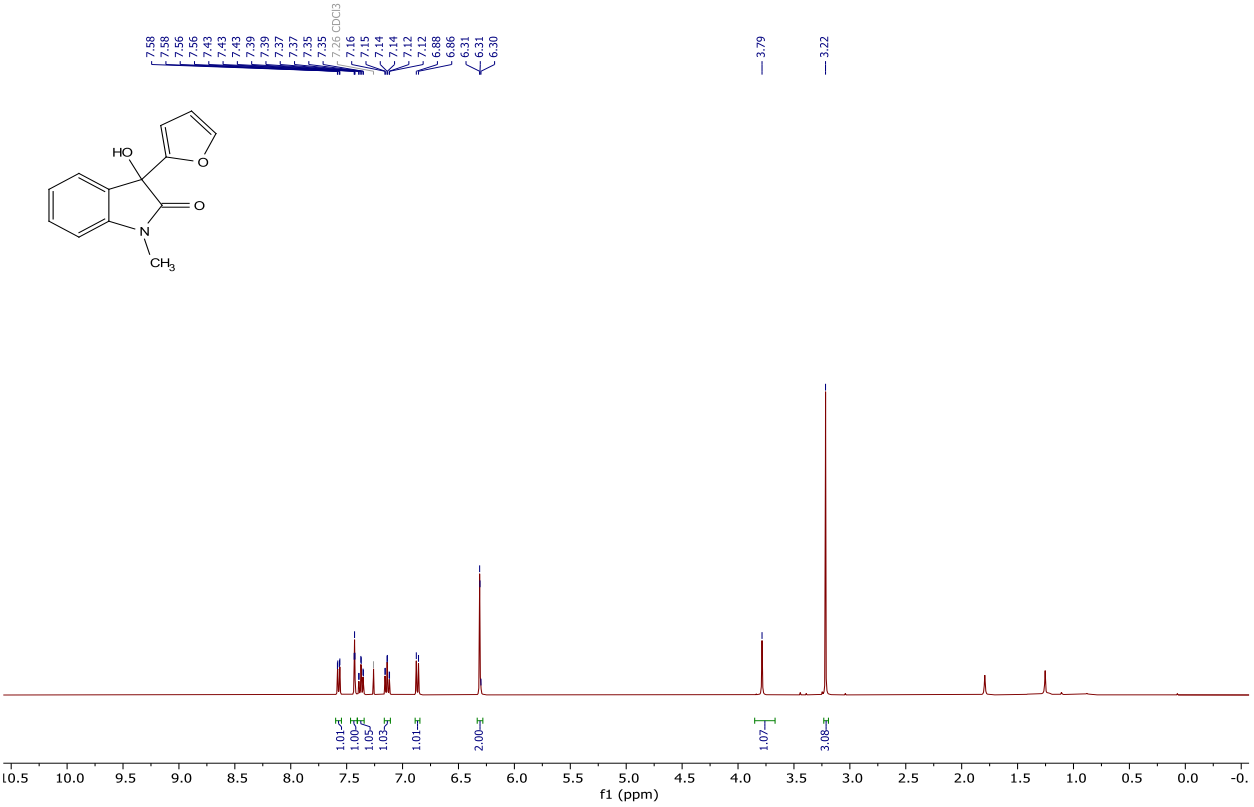


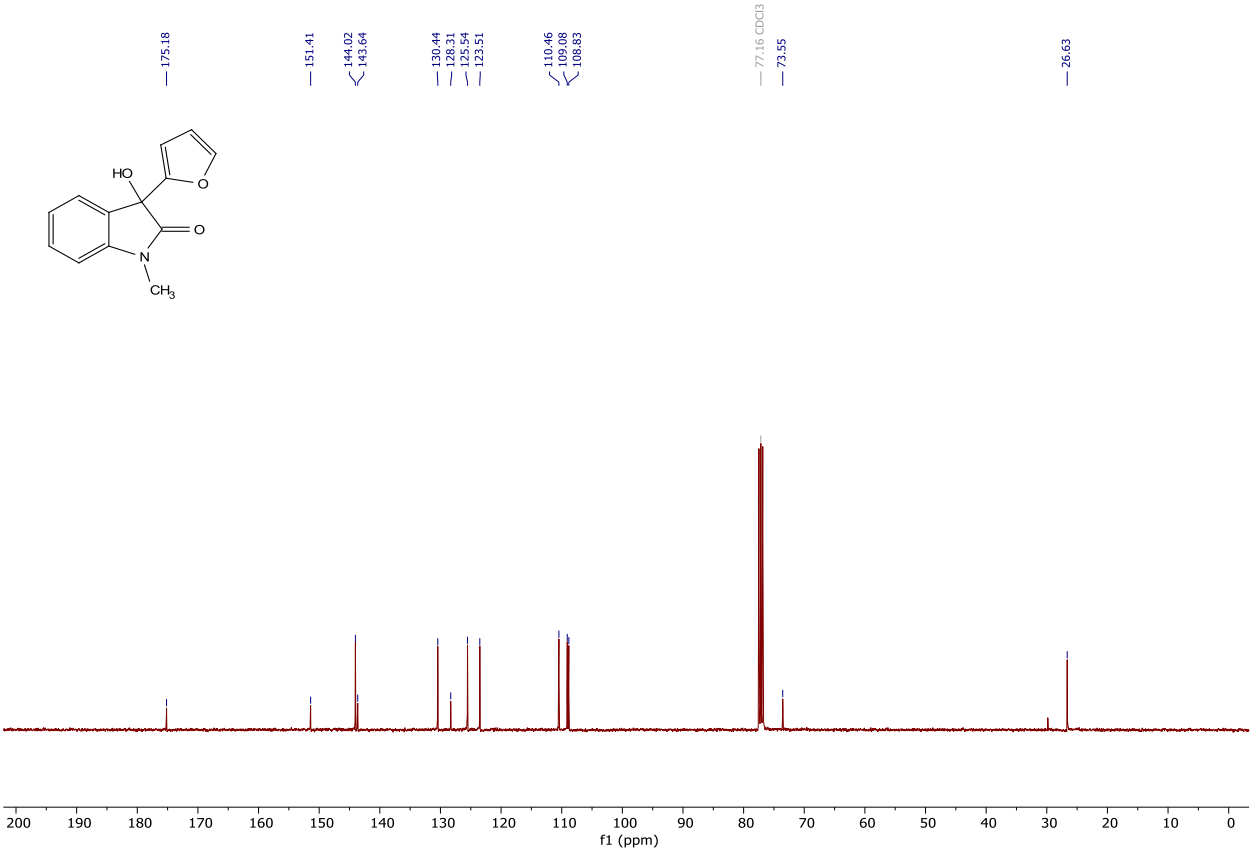
3-hydroxy-1-methyl-3-(thiophen-2-yl)indolin-2-one (2m):



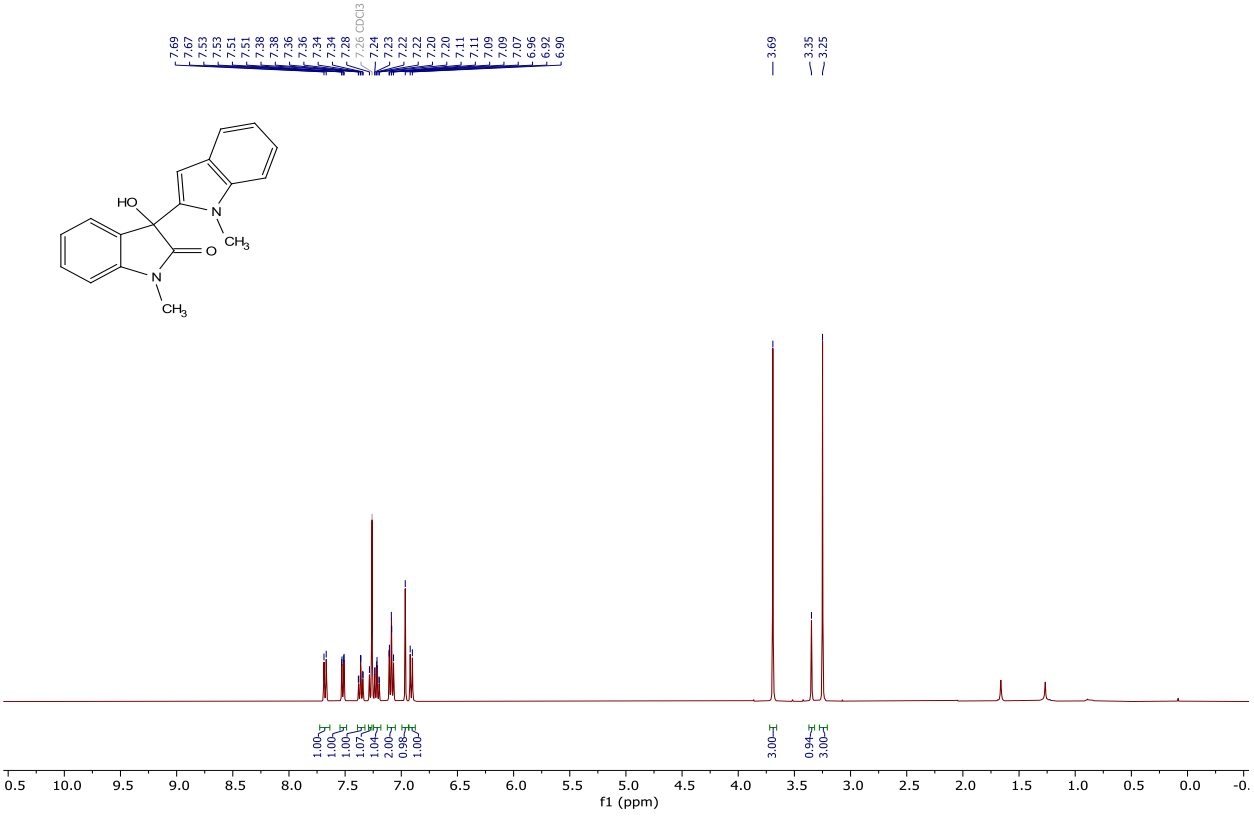


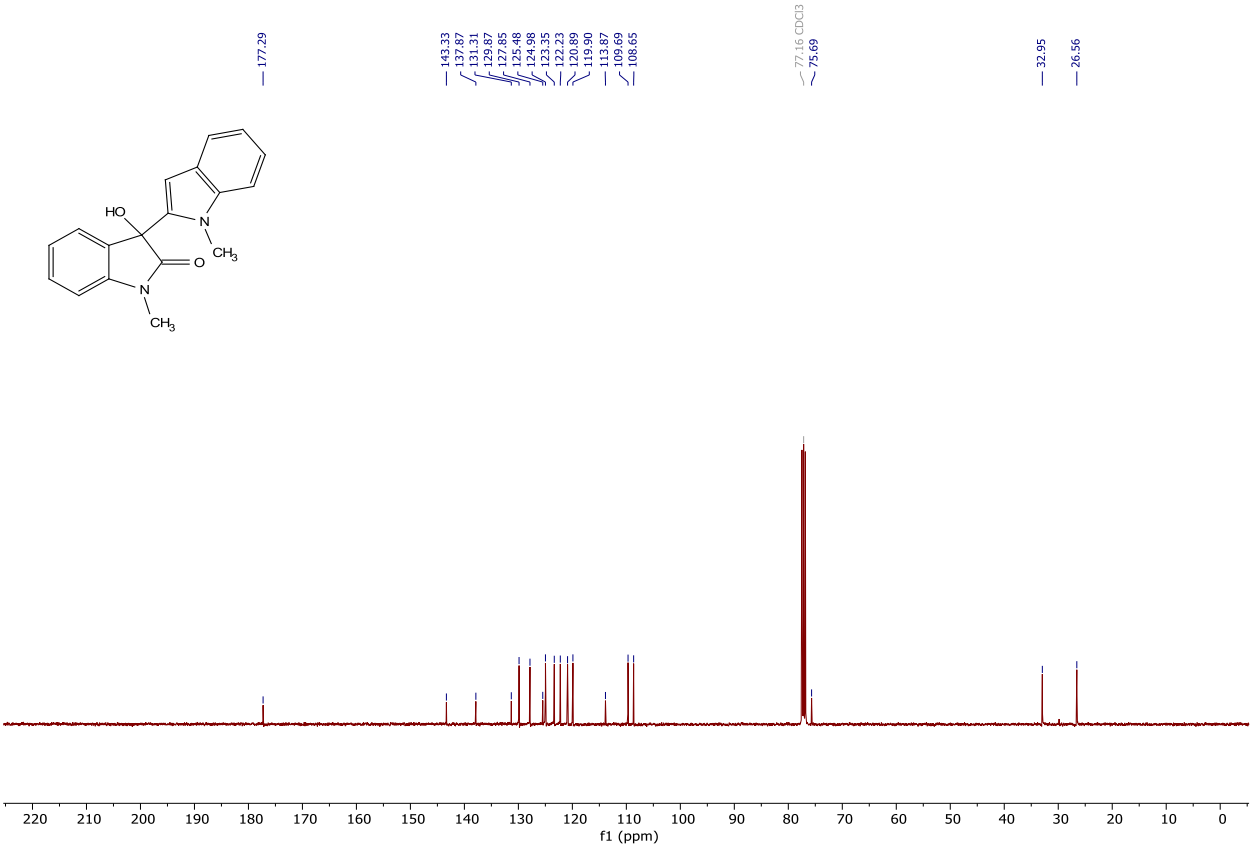
3-(furan-2-yl)-3-hydroxy-1-methylindolin-2-one (2n):



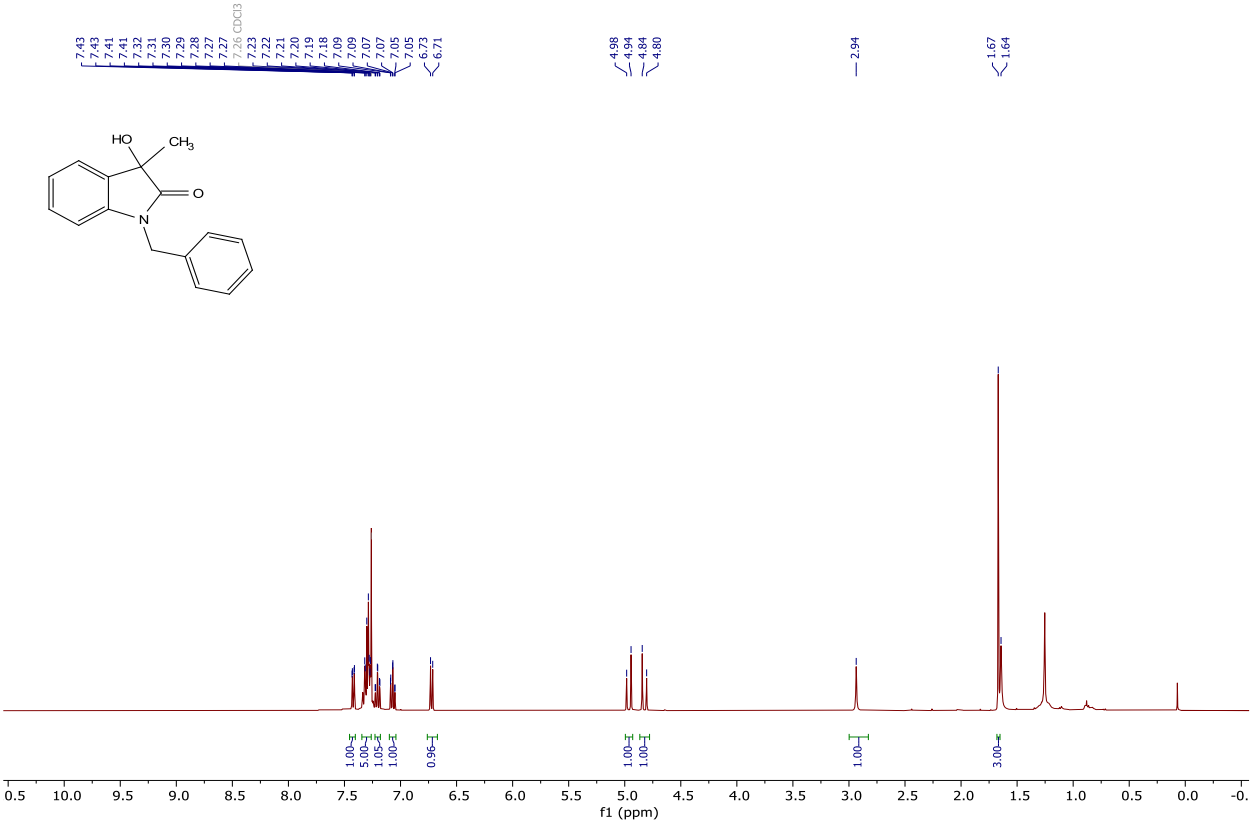


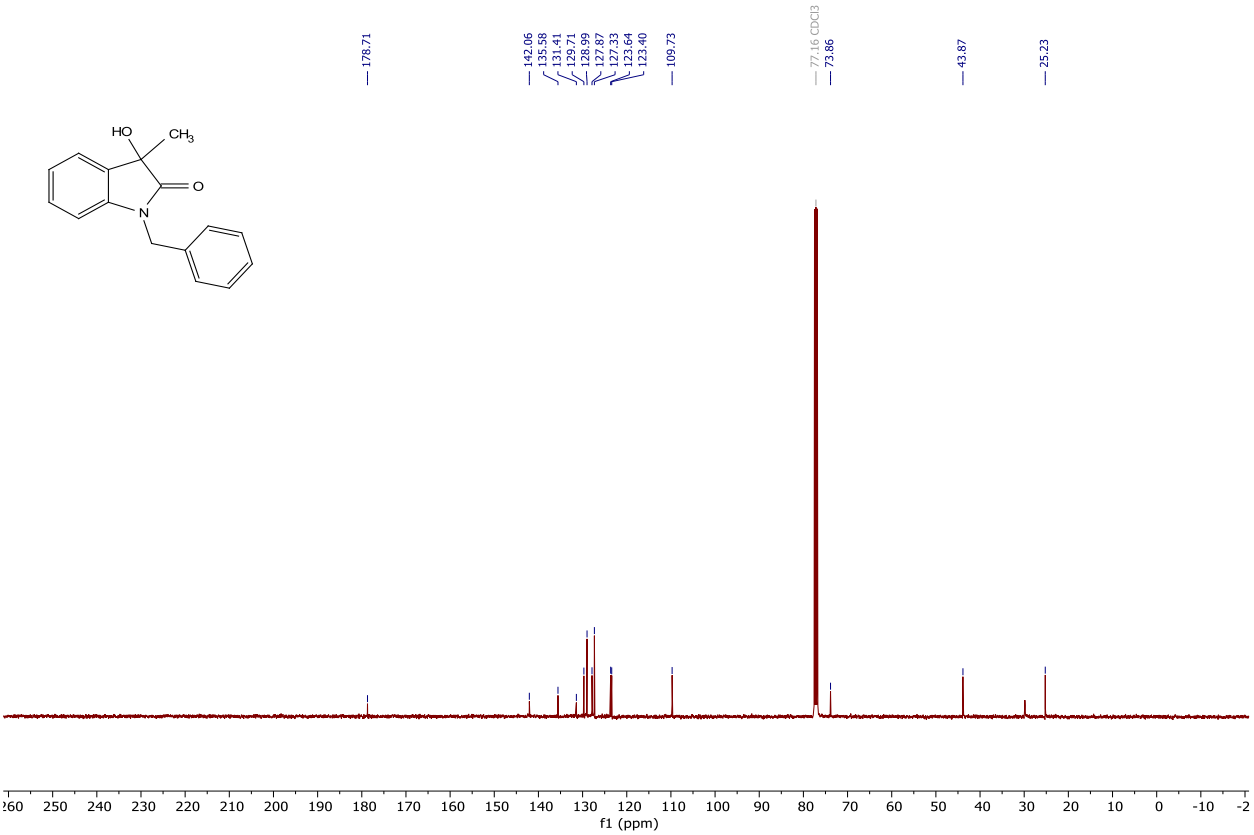
3-hydroxy-1-methyl-3-(1-methyl-1H-indol-2-yl)indolin-2-one (2o):



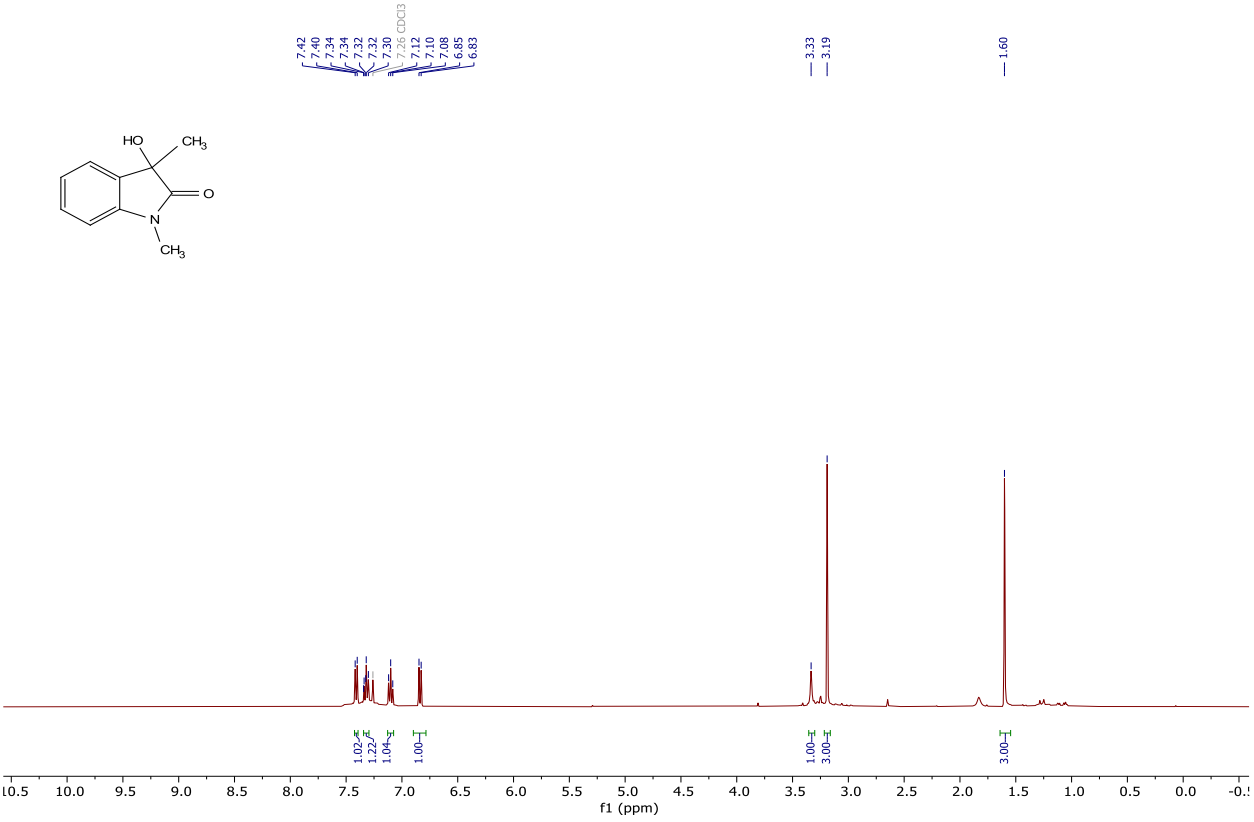


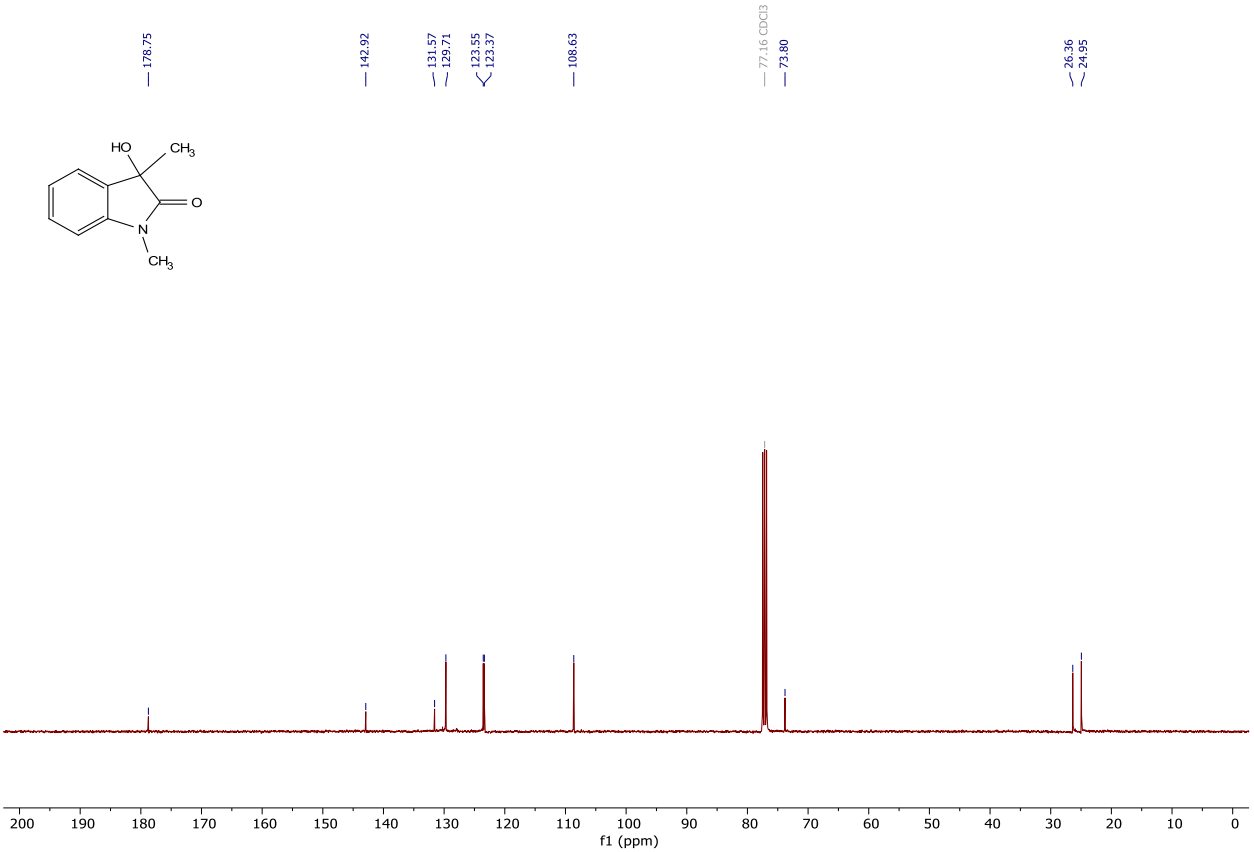
1-benzyl-3-hydroxy-3-methylindolin-2-one (2p):



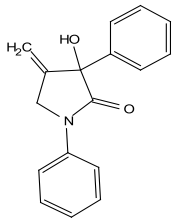


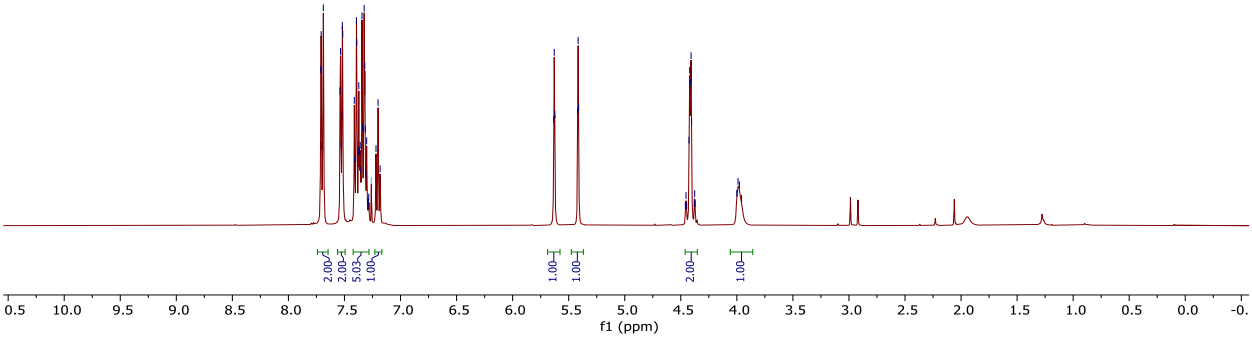
3-hydroxy-1,3-dimethylindolin-2-one (2q):

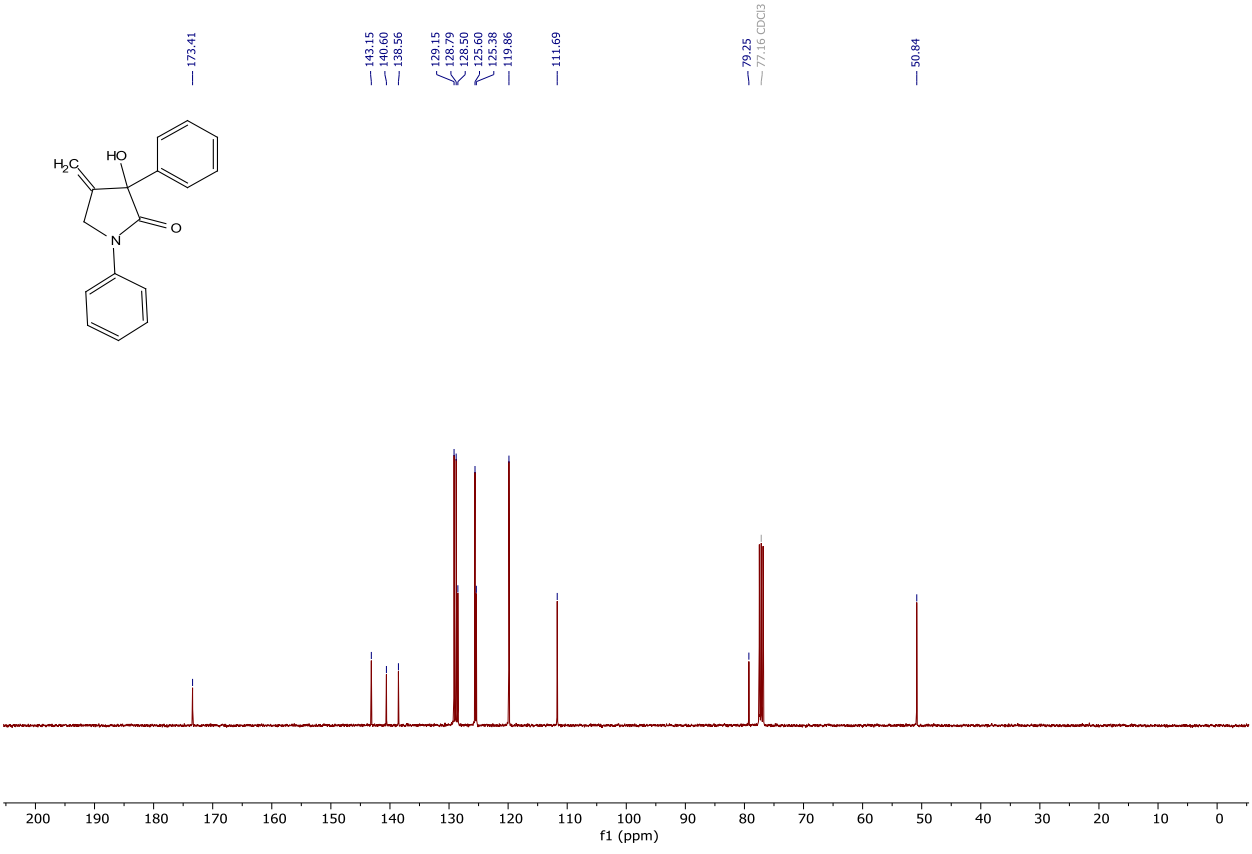




3-hydroxy-4-methylene-1,3-diphenylpyrrolidin-2-one (4a):







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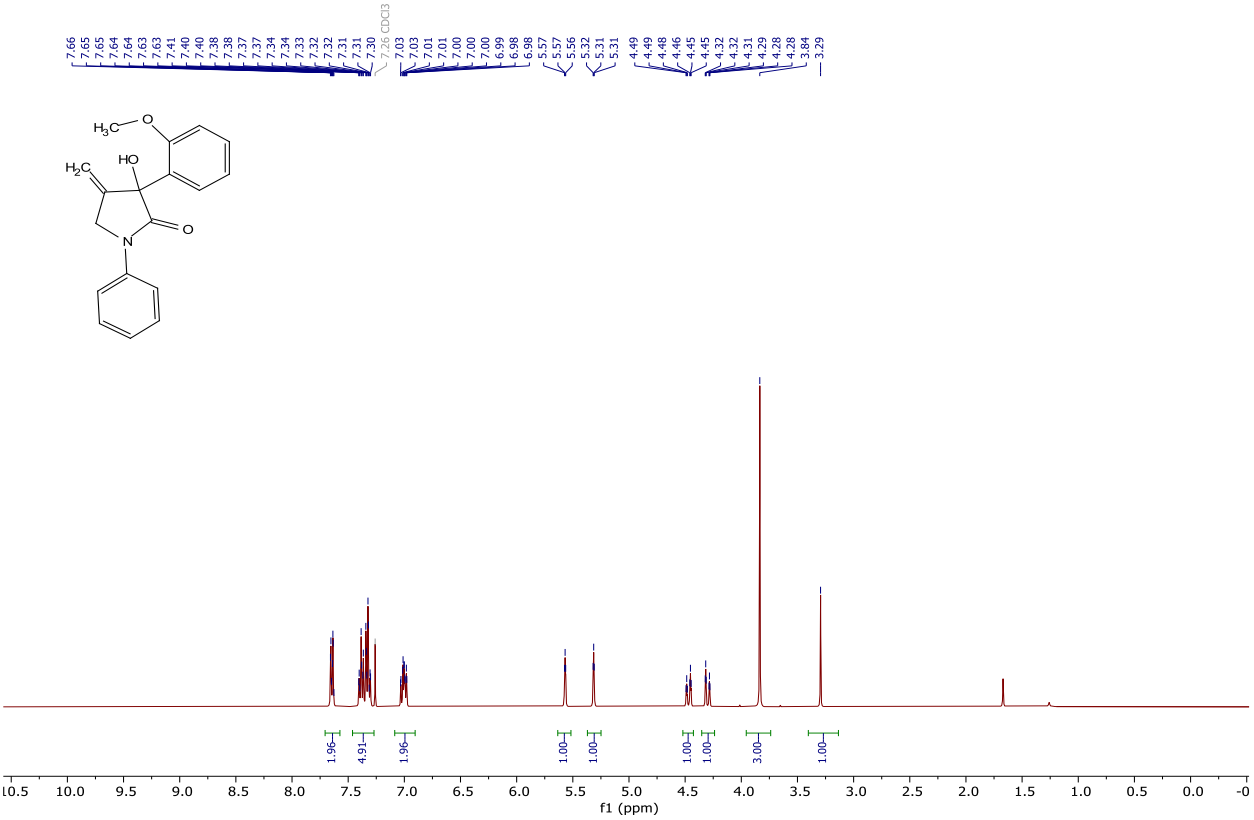
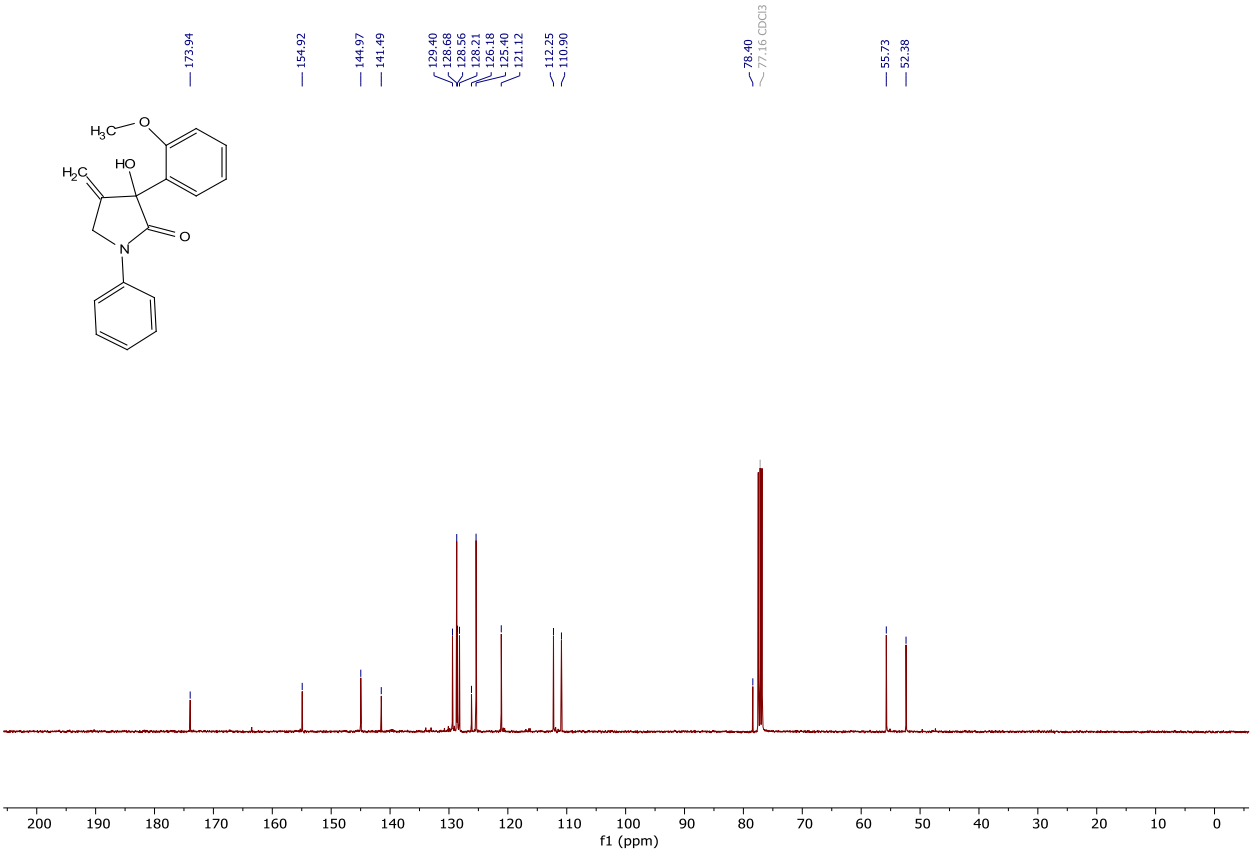
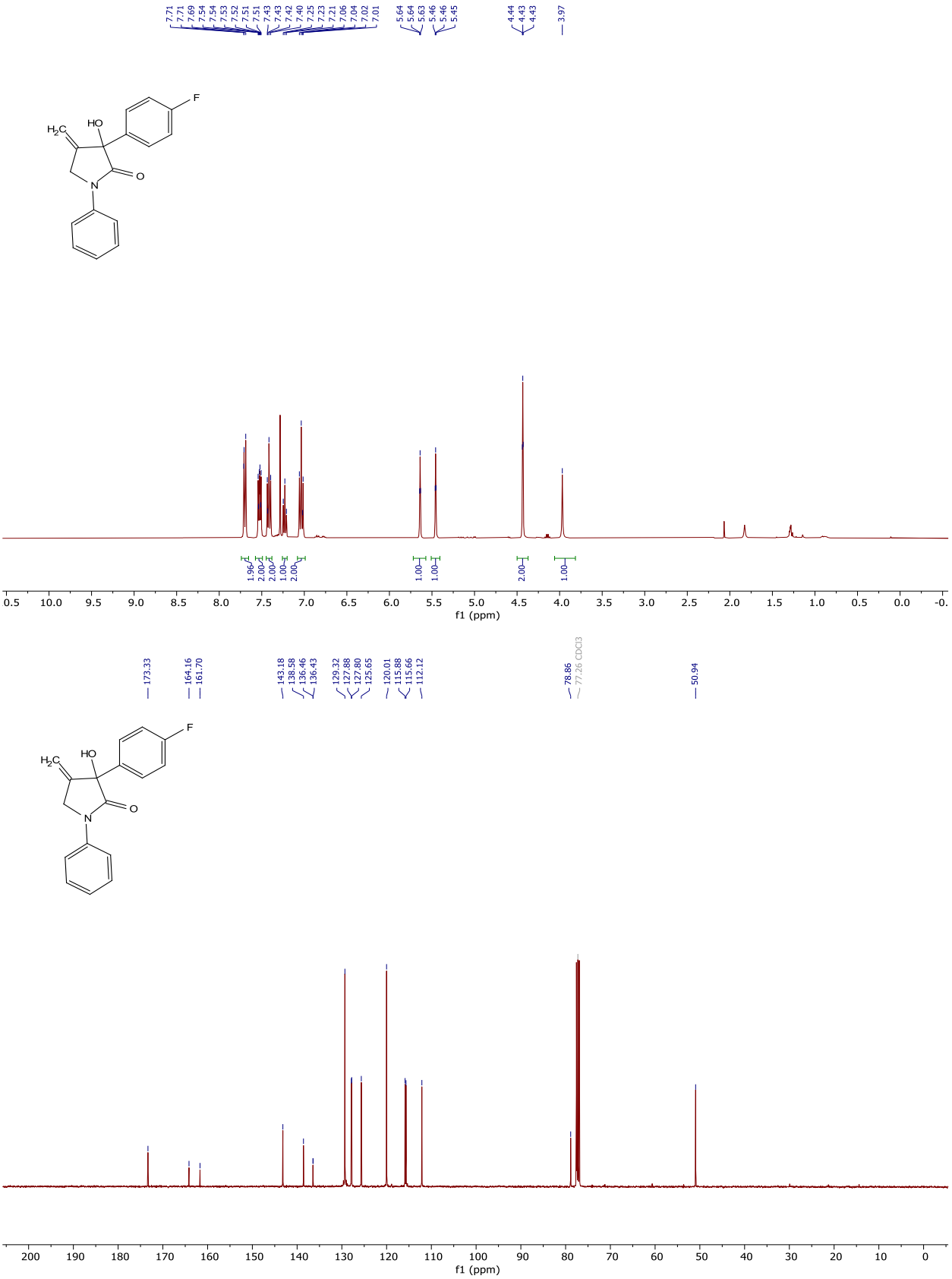
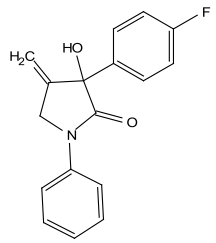




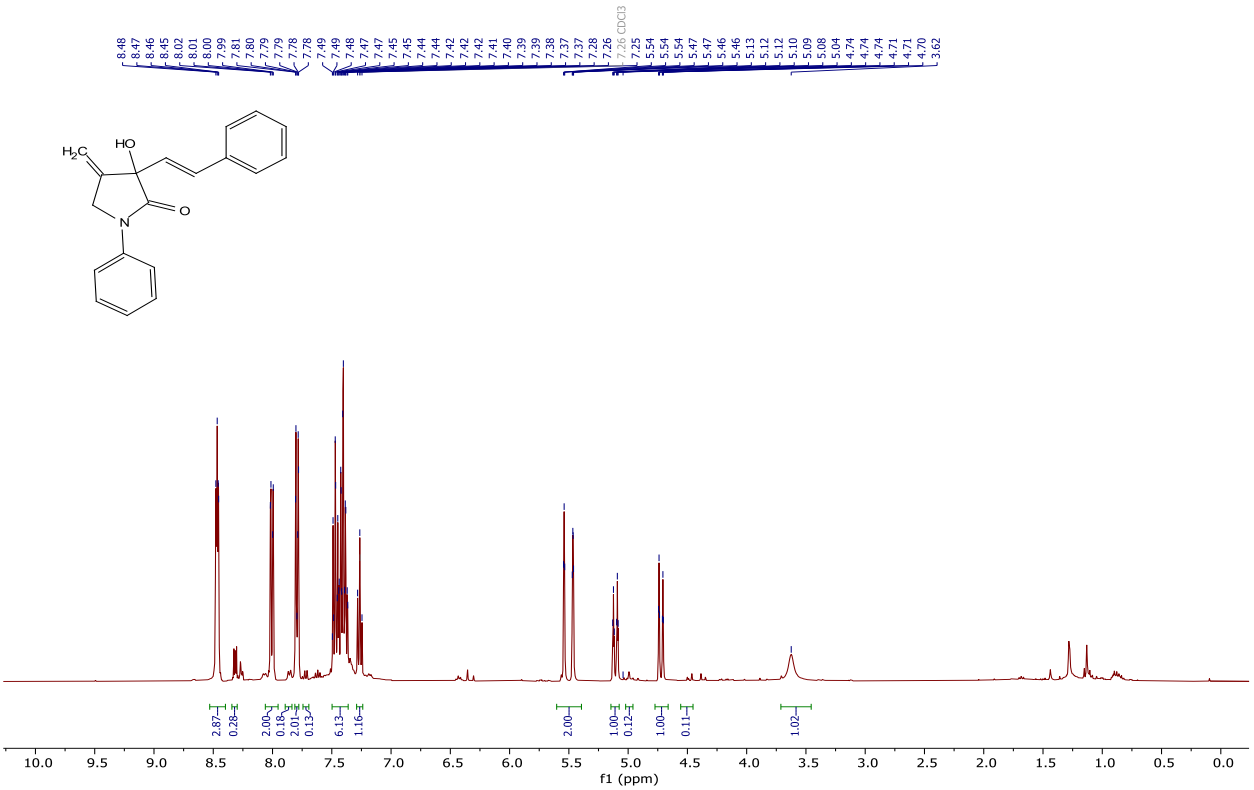
3-(4-fluorophenyl)-3-hydroxy-4-methylene-1-phenylpyrrolidin-2-one (4c):

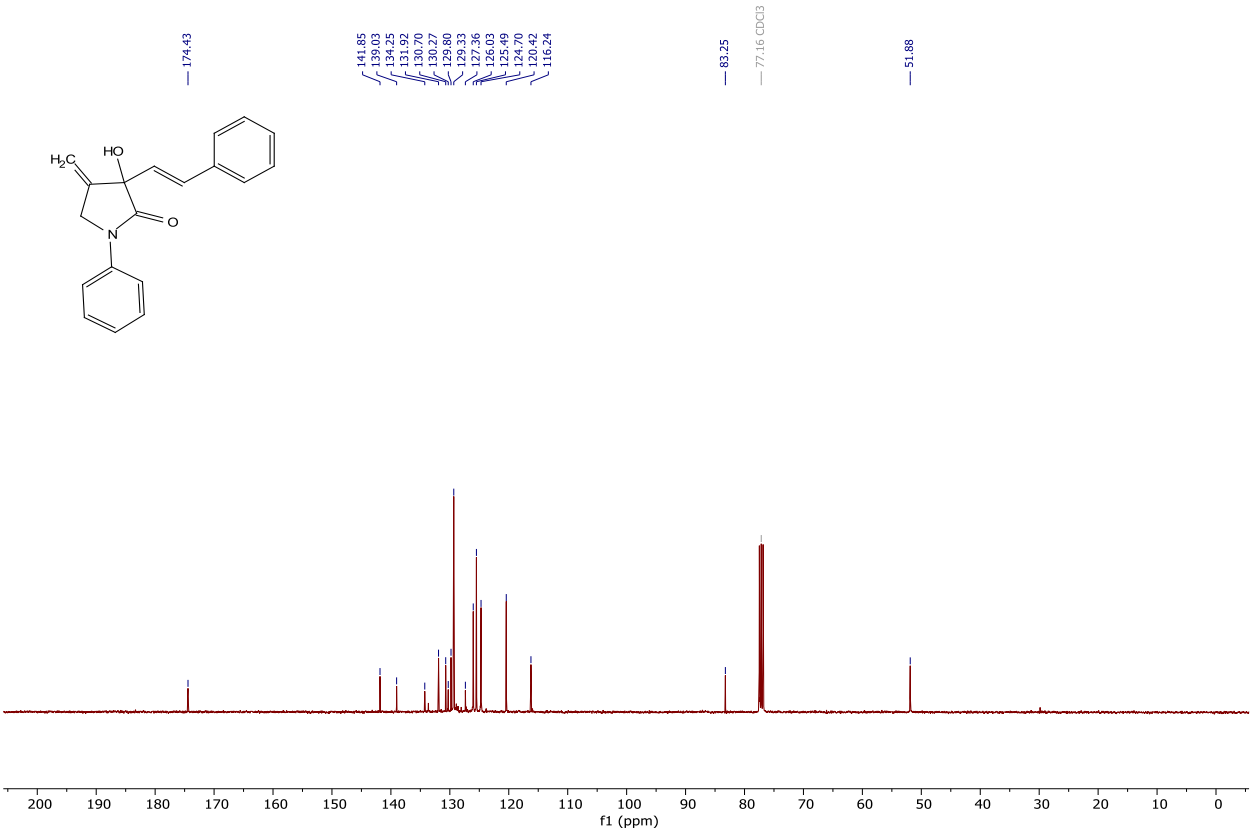



F
HO
H2C
O
N

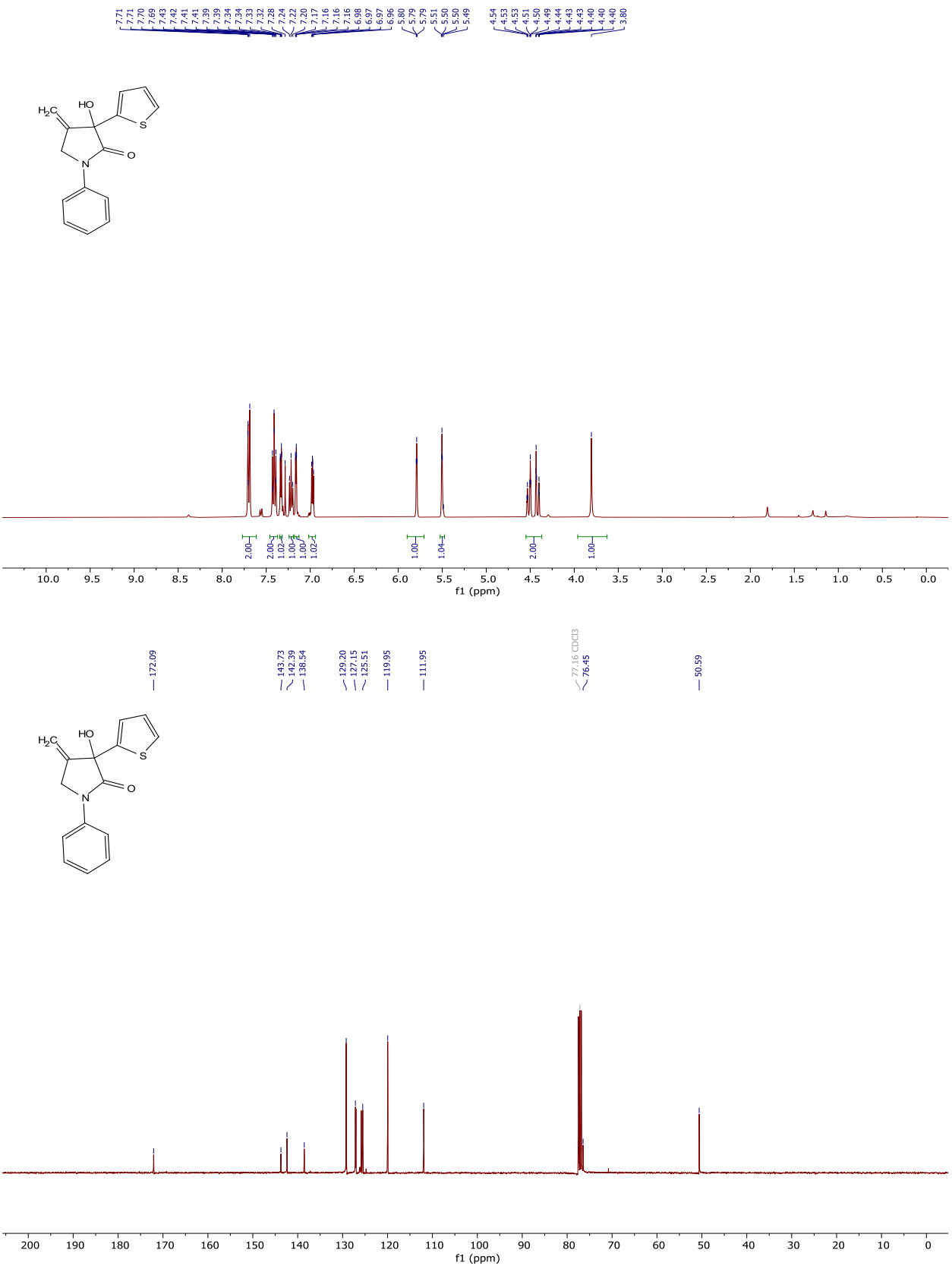
-113.50
100
50
0
-50
-100
-150
-200
-250
-300
f1 (ppm)

3-hydroxy-4-methylene-1-phenyl-3-styrylpyrrolidin-2-one (4d):

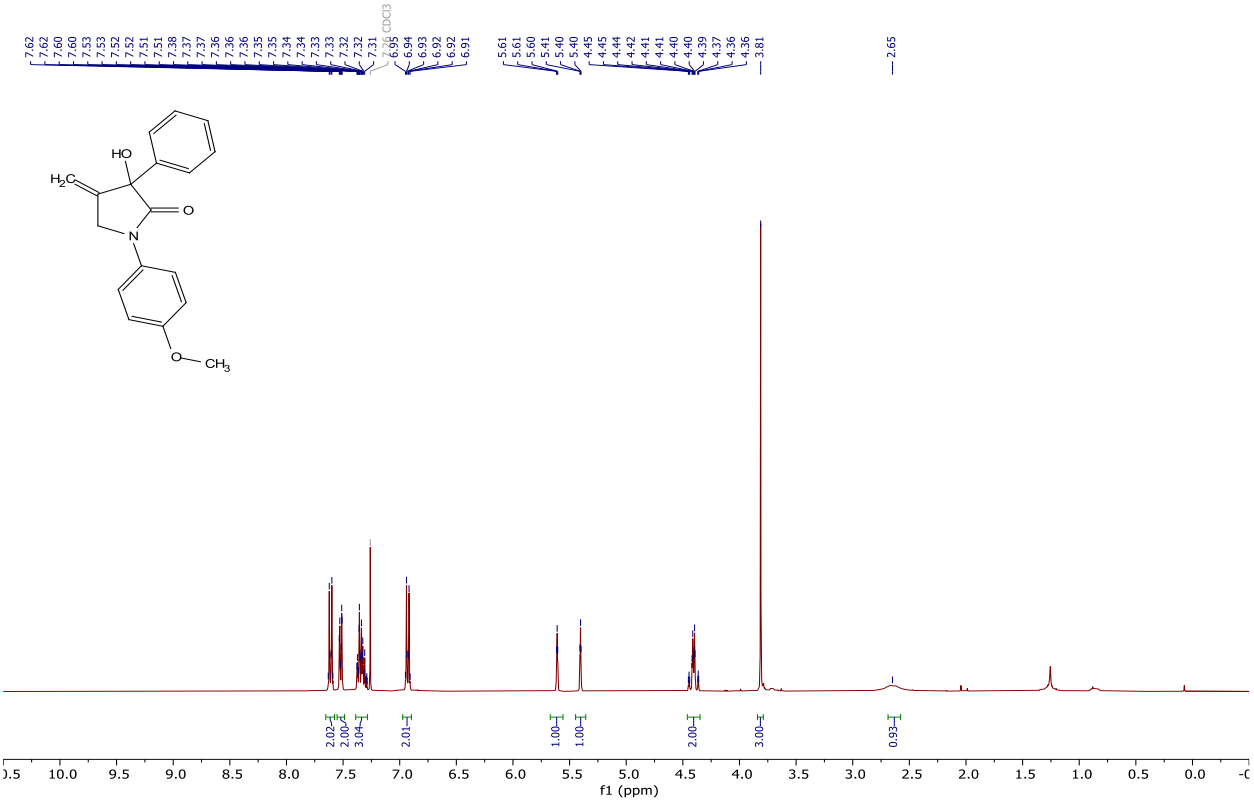


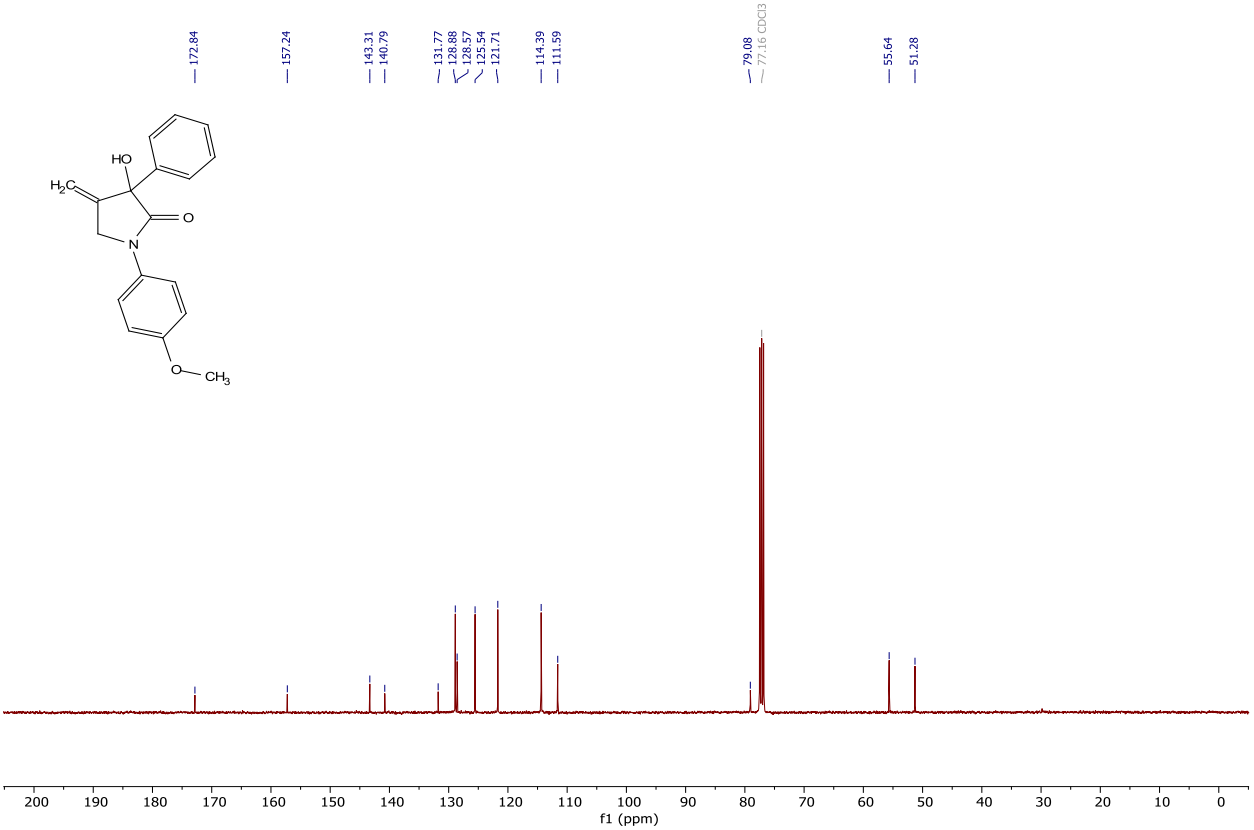


3-hydroxy-4-methylene-1-phenyl-3-(thiophen-2-yl)pyrrolidin-2-one (4e):

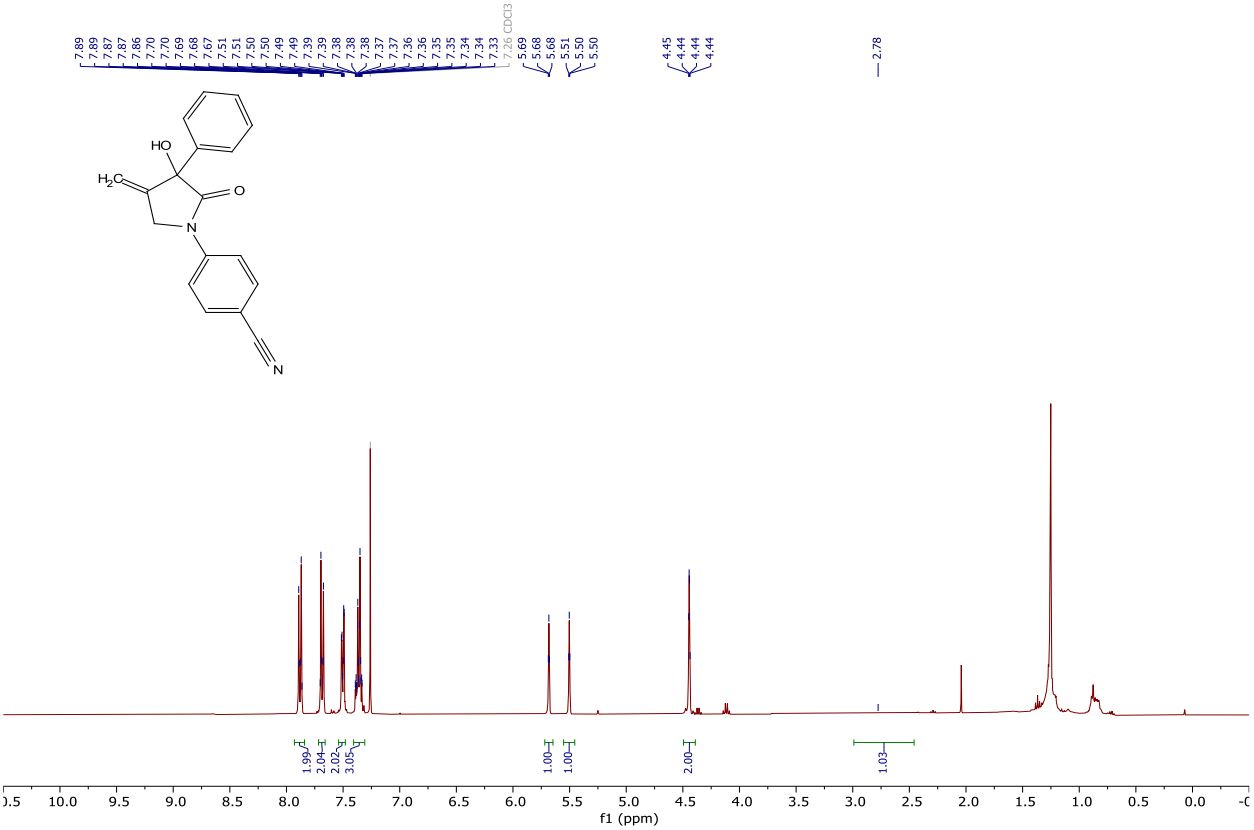


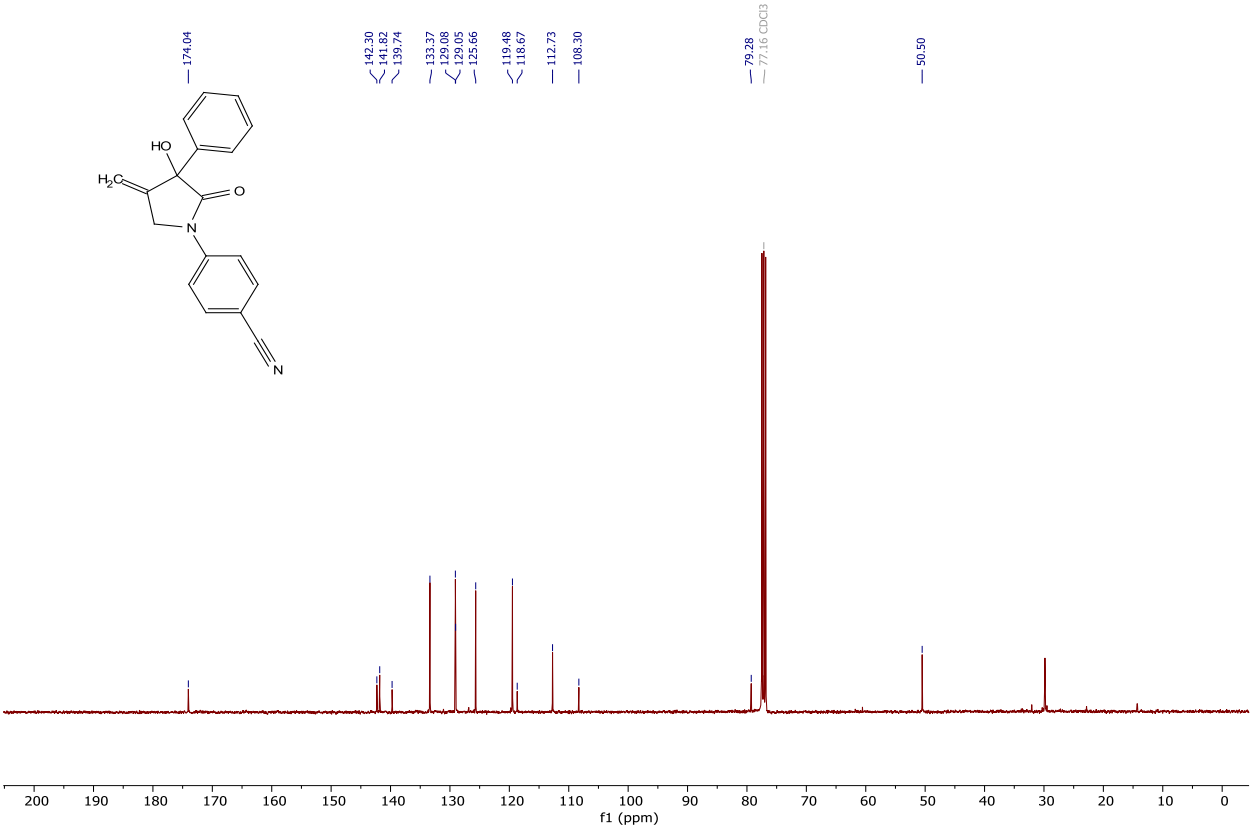
3-hydroxy-1-(4-methoxyphenyl)-4-methylene-3-phenylpyrrolidin-2-one (4f-a):



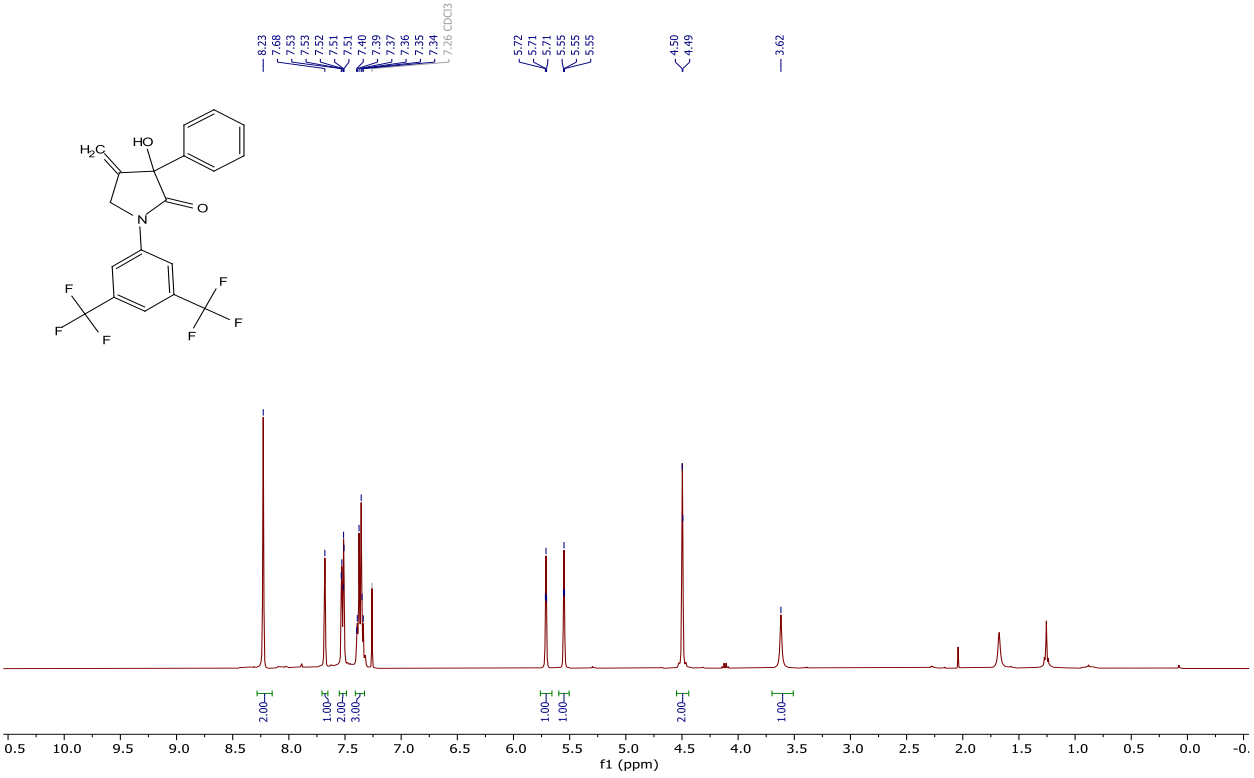


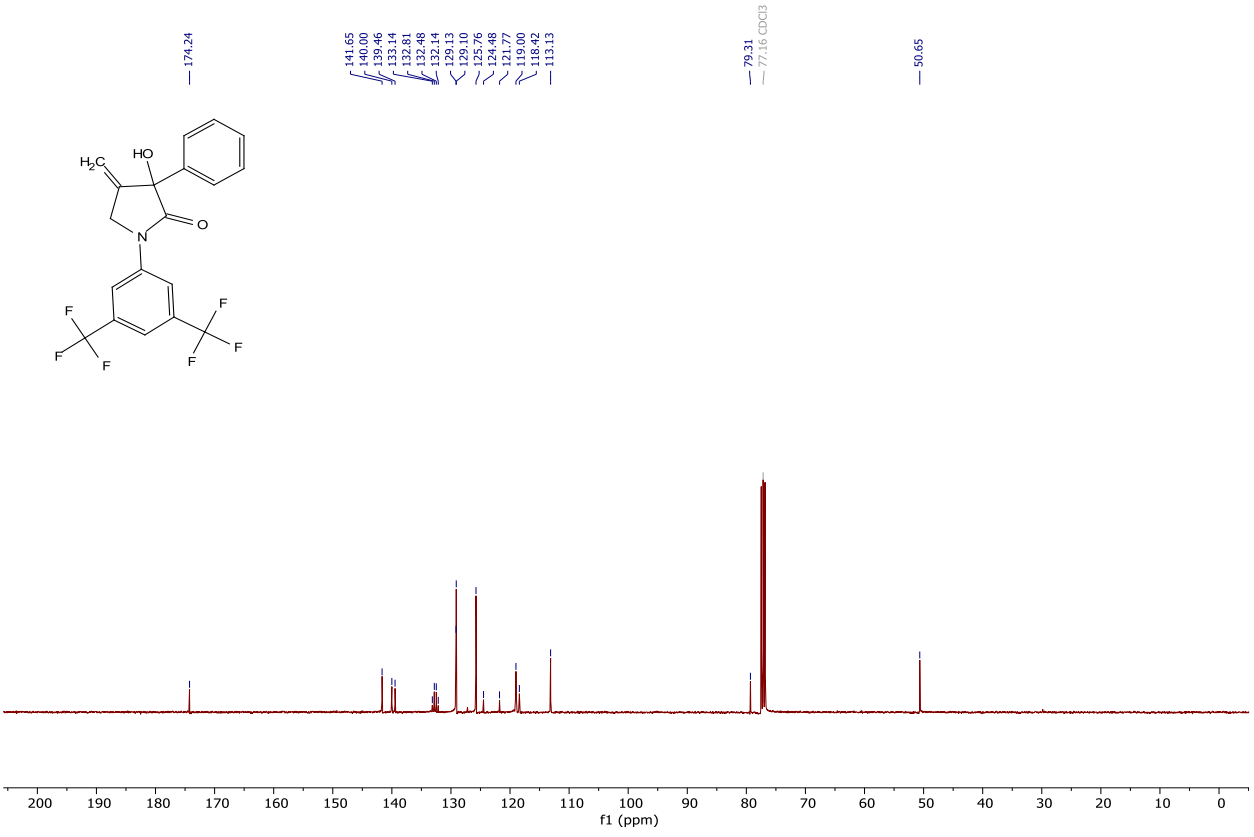
4-(3-hydroxy-4-methylene-2-oxo-3-phenylpyrrolidin-1-yl)benzonitrile (4f-b):

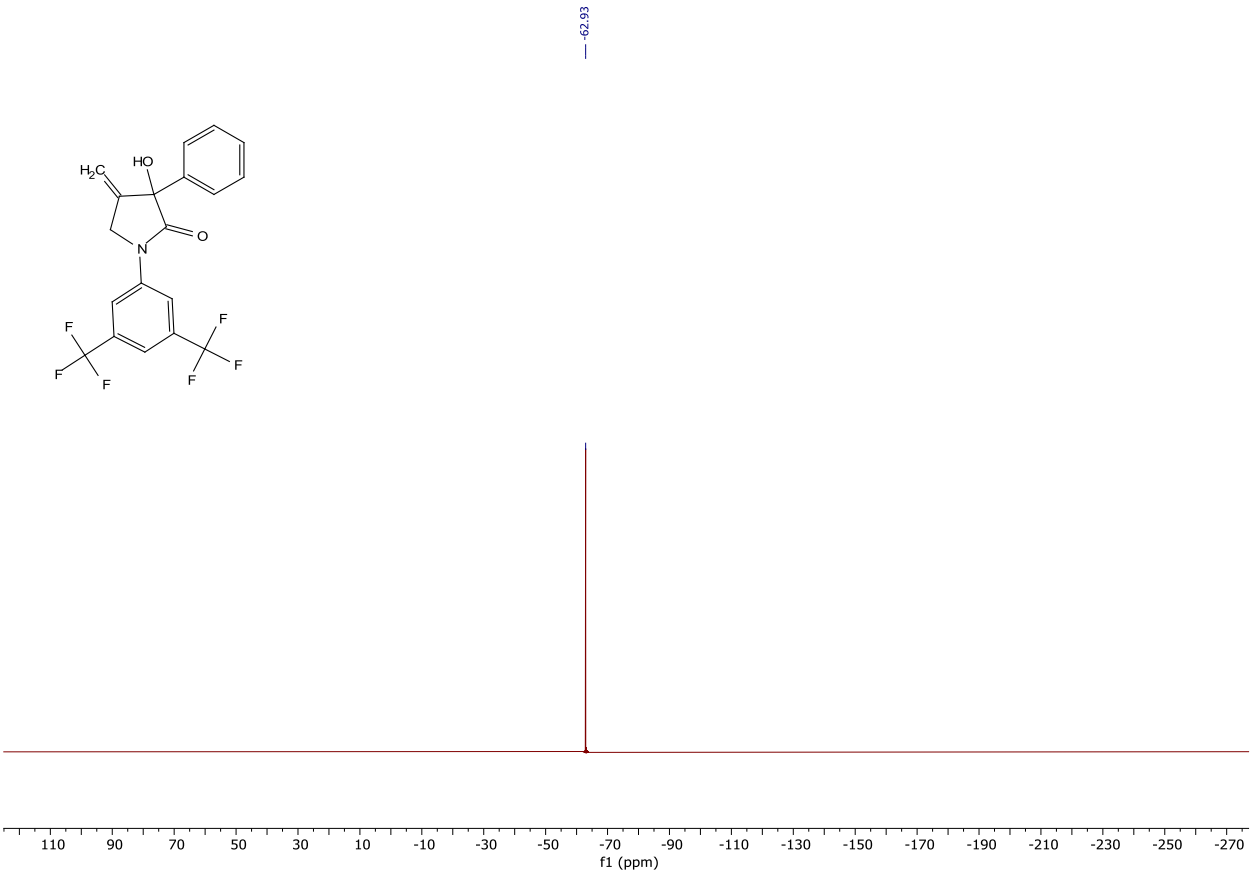




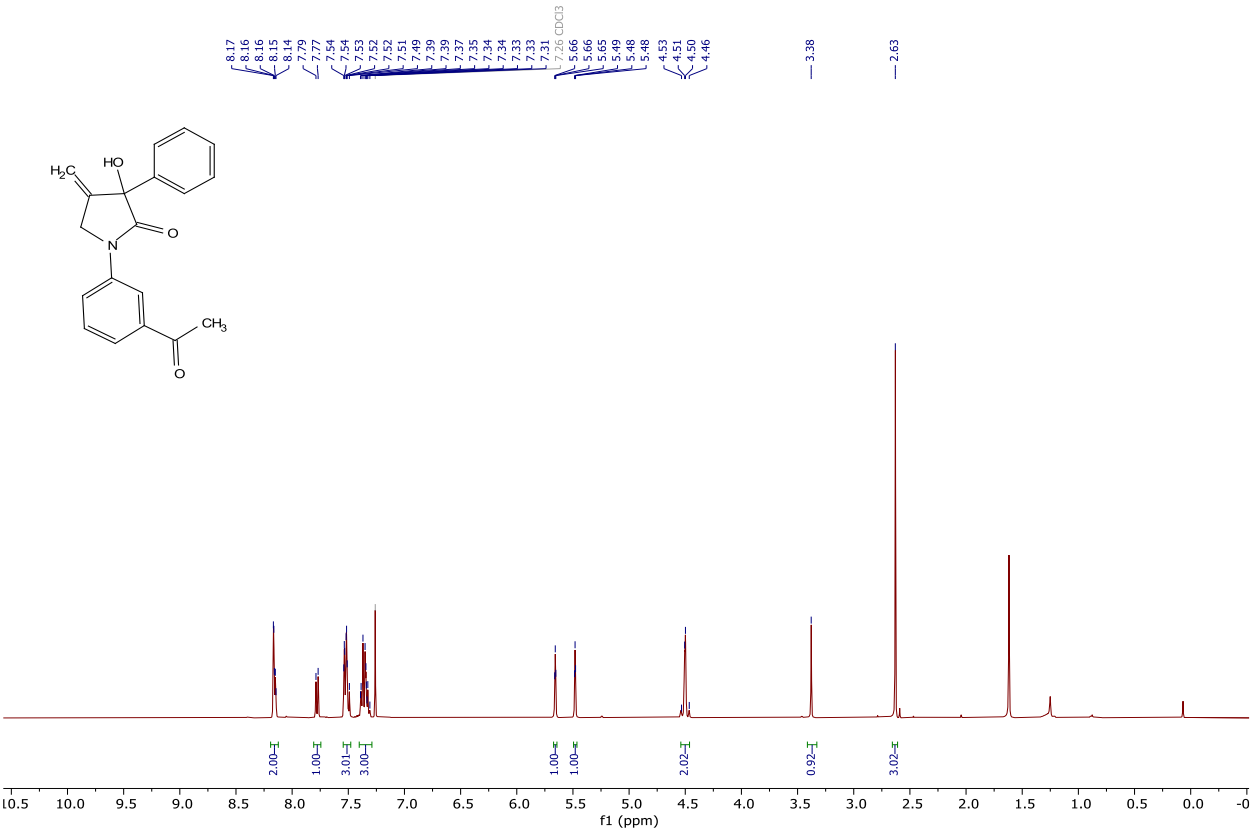
1-(3,5-bis(trifluoromethyl)phenyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4g):

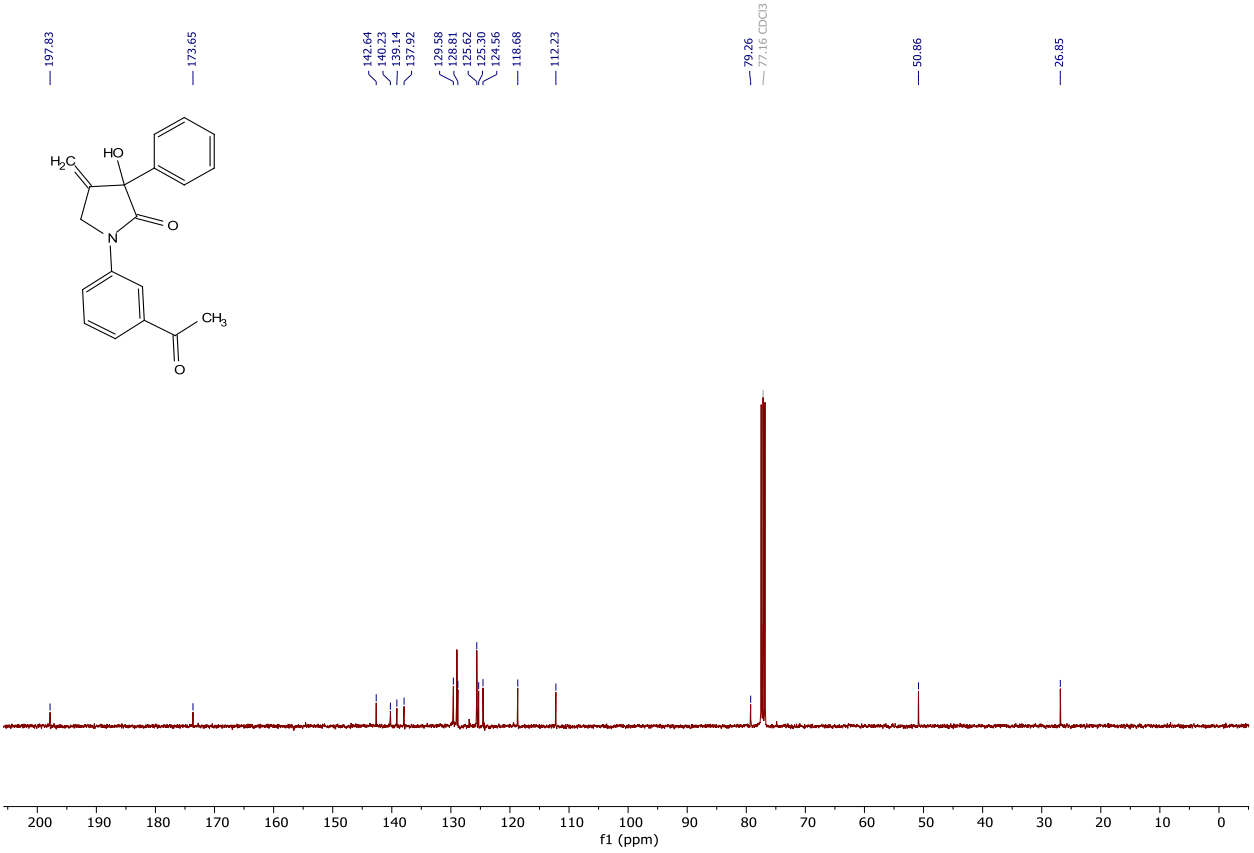




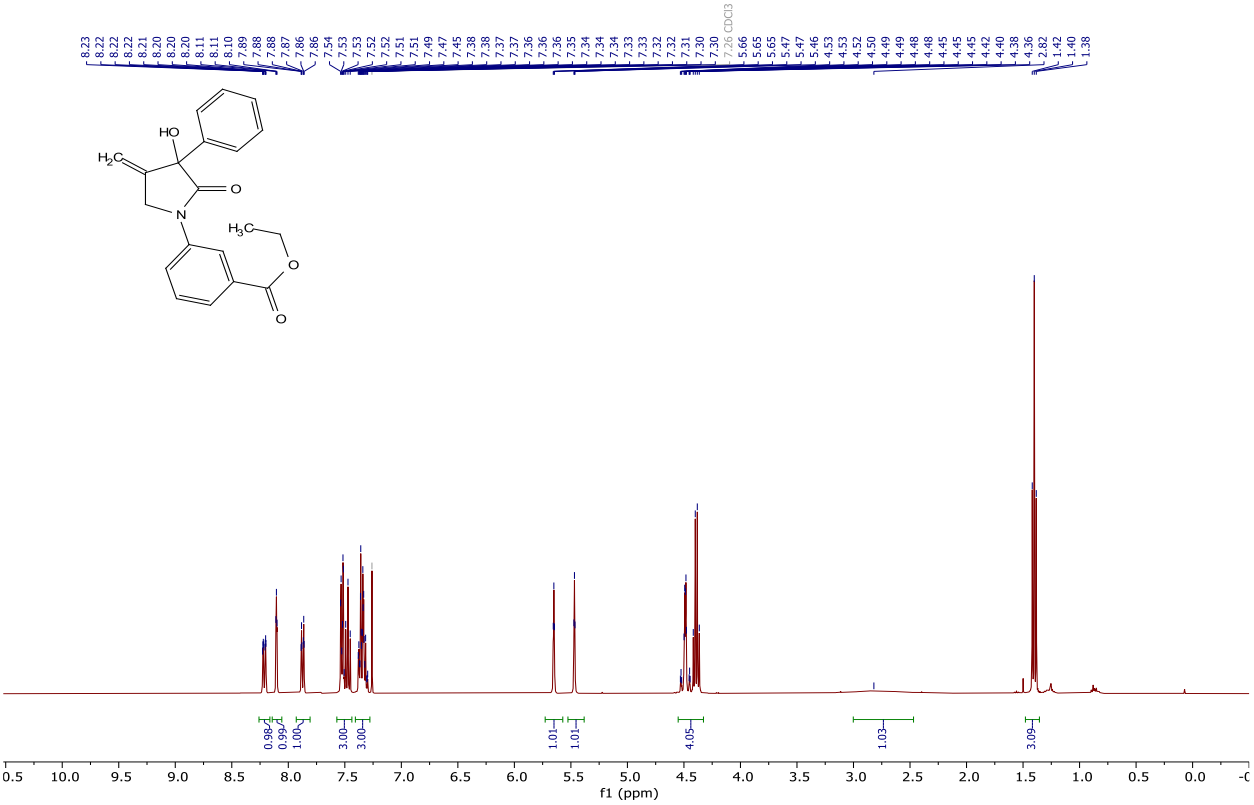

-62.93
HO
H2C
O
N
F
F
F
F
F
F
110
90
70
50
30
10
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
-230
-250
-270
f1 (ppm)

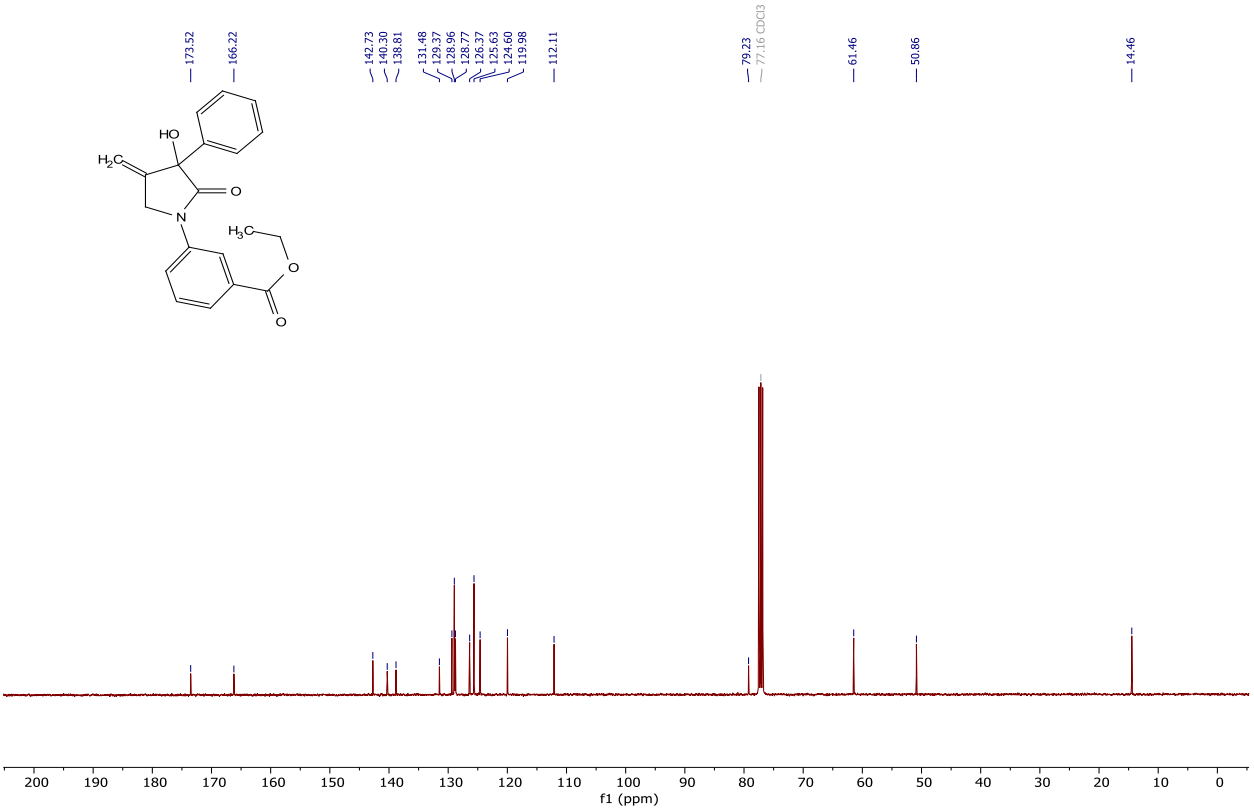
1-(3-acetylphenyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4h-a):



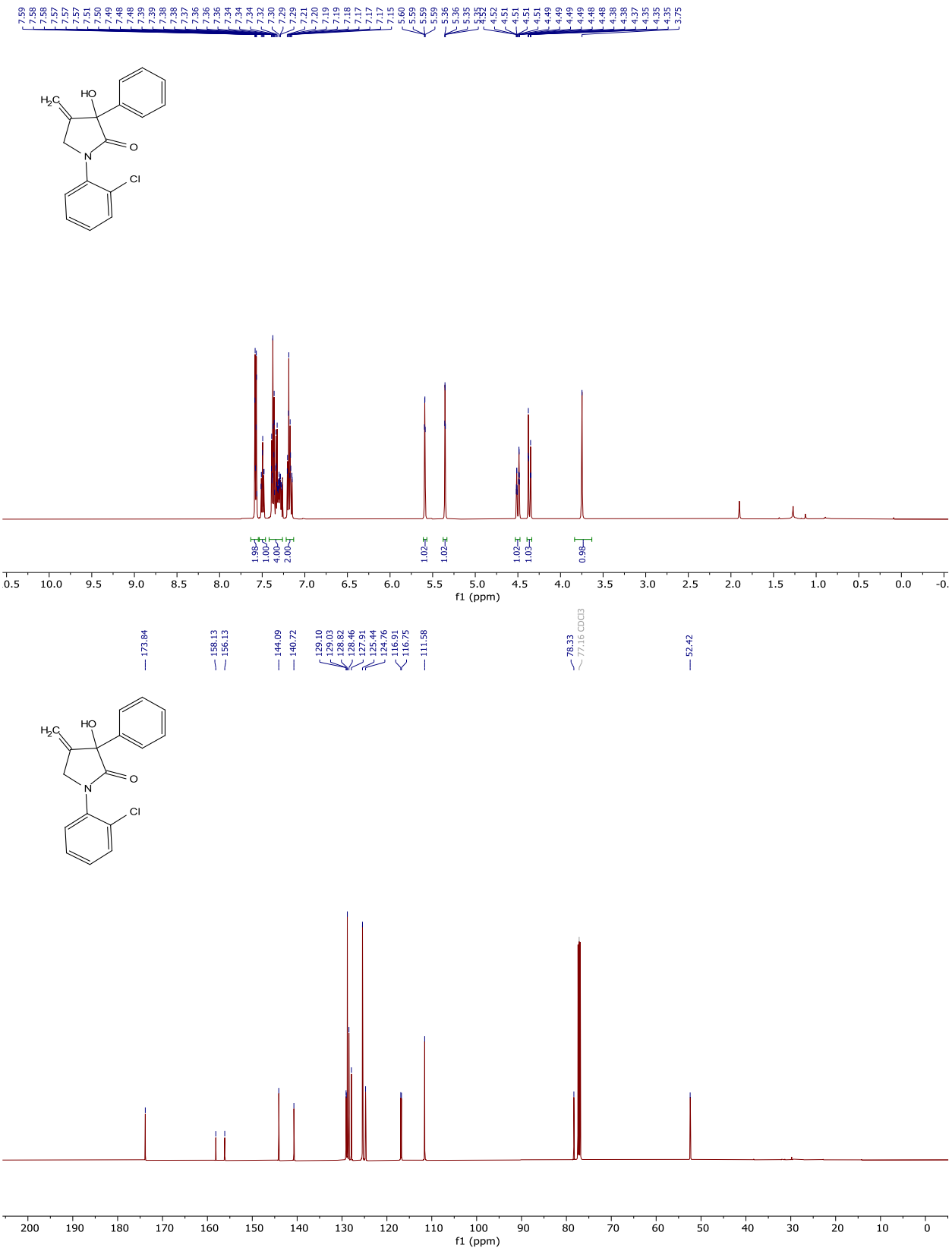


Ethyl-3-(3-hydroxy-4-methylene-2-oxo-3-phenylpyrrolidin-1-yl)benzoate (4h-b):

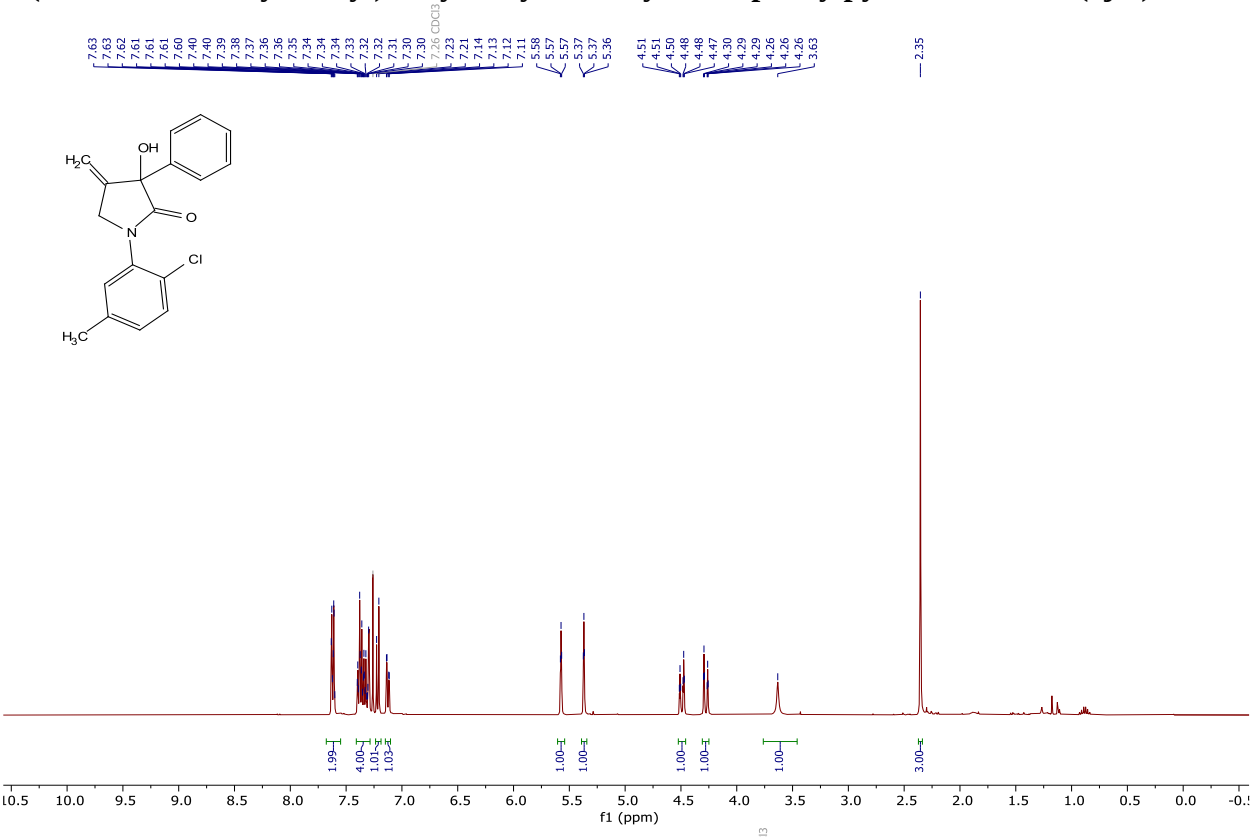


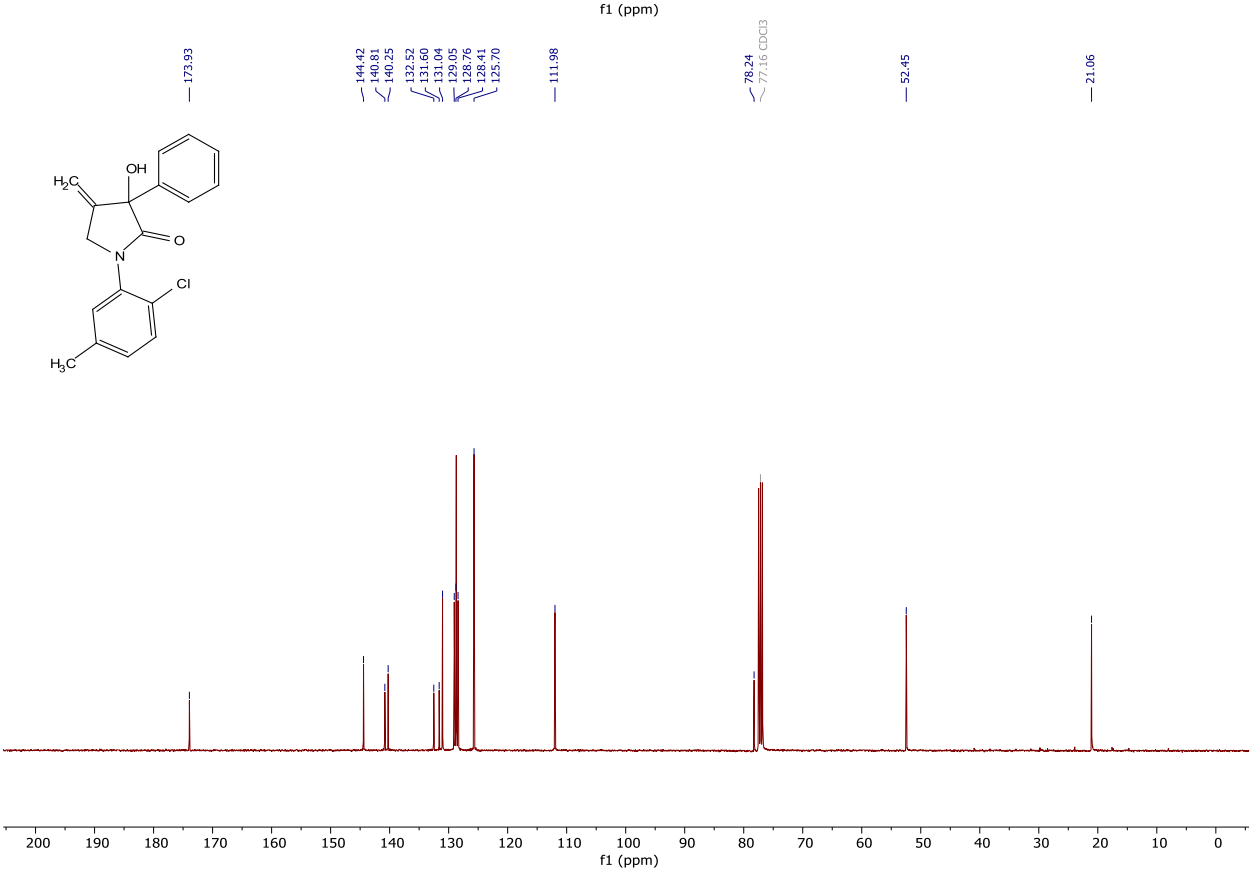


1-(2-chlorophenyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4i):



1-(2-chloro-5-methylbenzyl)-3-hydroxy-4-methylene-3-phenylpyrrolidin-2-one (4j-a):





3-hydroxy-1-(3-methylbenzyl)-4-methylene-3-phenylpyrrolidin-2-one (4j-b):