Supporting Information for

Facile access to 2-hydroxy-3-indolinones via copper-catalyzed oxidative cyclization of 2-arylethynylanilines

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1 General information

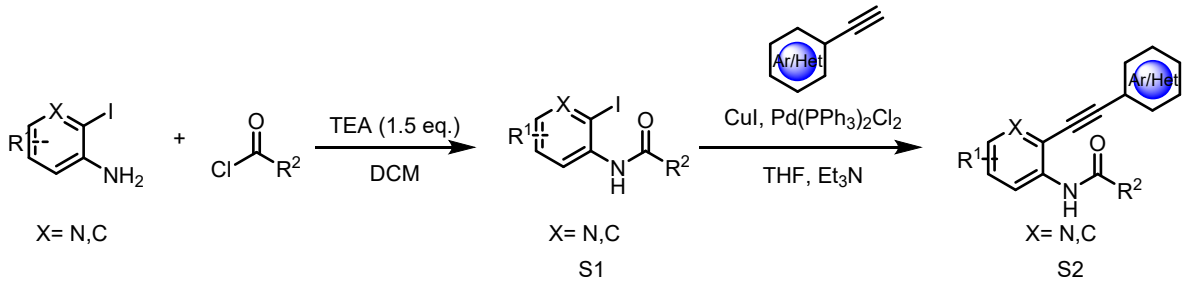
Unless otherwise noted, commercial reagents were purchased from Adamas, Aladdin, Alfa, Bide, TCI and used without further purification. All reaction were carried out using oven-dried glassware and proceeded without special care. Thin layer chromatography (TLC) was carried out using precoated silica gel plates (0.25 mm, F254) and visualization was accomplished under UV light (254 nm).Column chromatography was performed on 200-300 mesh silica gel.

^{1}H, ^{19}F and ^{13}C NMR was recorded on a Bruker AV 500 MHz in solvents as indicated. Chemical shifts (δ) are given in ppm relative to TMS. The residual solvent signals were used as references and the chemical shifts converted to the TMS scale (CDCl3: δ_H = 7.26 ppm, δ_C = 77.16 ppm; d6-DMSO: δ_H = 2.50 ppm, δ_C = 39.52 ppm; d4-MeOD: δ_H = 3.31 ppm, δ_C = 49.00 ppm). The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of the doublet. Coupling constants, J, were reported in the hertz unit (Hz). High-resolution mass spectra (HRMS) were recorded on a Thermo Scientific Q Exactive UHMR ((Ultra-High Mass Range) Hybrid QuadrupoleOrbitrap™ mass spectrometer.

No attempts were made to optimize yields for substrate synthesis.

2. Synthetic Methods for Starting Materials

General Procedure for the Synthetic of Substrates [1,2]



General procedure for the synthetic of S1:

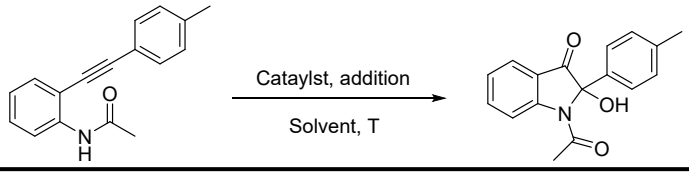
This step was carried out according to a literature method[1] with some modifications. To a solution of corresponding 2-iodoanilines (10.0 mmol) in DCM (25 mL) were added respective acid chlorides (12.0 mmol) followed by NEt_3 (15.0 mmol) at room temperature or 0 °C. After complete addition, the reaction was allowed to stir continuously until all the starting material was consumed completely (monitored by TLC, approx. 0.5–1h). After reaction completion, the mixture was added to brine (15 mL) and extracted with DCM (3×30 mL). The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated in vacuo. The crude product was purified by column chromatography to afford S1.

General procedure for the synthetic of S2:

To a solution of aryl iodide (10.0 mmol), $PdCl_2(PPh_3)_2$ (0.02 eq.) and CuI (0.04 eq.) in THF (30 mL) at RT under argon. After stirring for 5 minutes, NEt_3 (10.0 mL) was added and ethynylbenzene (1.5 eq.) was added neat and dropwise to the reaction mixture until complete consumption of starting material (monitored by TCL, approx. 4-8h). After completion, the reaction mixture was quenched with water and extracted with ethyl acetate (3 × 20 mL). The organic layer was washed with brine, dried over Na_2SO_4, and concentrated in vacuo. The crude product was purified by column chromatography to afford S2.

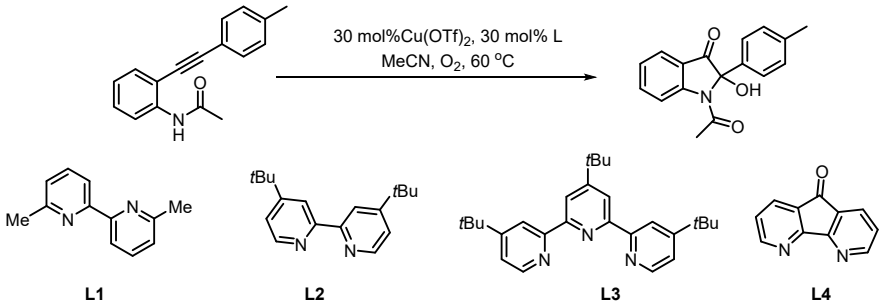
3. Supporting Tables and Schemes

Table S1. Screening of the catalyst



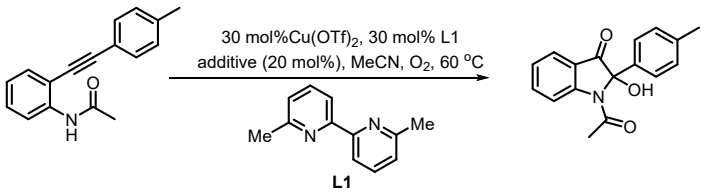
entry	slovent/1.0 mL	catalyst/30 mol%	T/°C	time/h	yield/%
1	MeCN	$Cu(OTf)_2$	60	5	33
2	MeCN	AgOTf	60	5	n.r.
3	MeCN	$Pd(OAc)_2$	60	5	trace
4	MeCN	$Ni(cod)_2$	60	5	n.r.

Table S2. Screening of the ligand



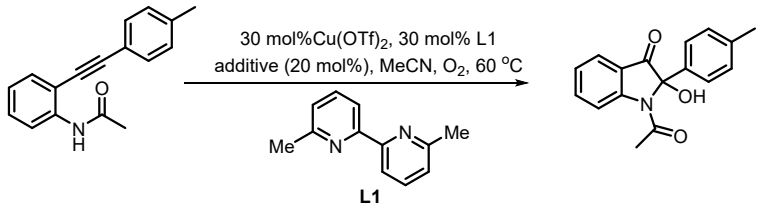
entry	L/0.3 equiv	T/°C	time/h	yield/%
1	L1	60	17	40
2	L2	60	17	trace
3	L3	60	17	n.r.
4	L4	60	17	n.r.

Table S3. Screening of the reaction additive



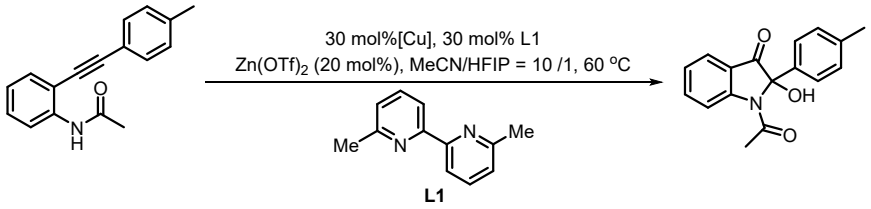
entry	additive	T/°C	time/ h	yield/%
1	K_2CO_3	60	17	n.r.
2	NH_4Cl	60	17	n.r.
3	$Zn(OTf)_2$	60	17	64
4	K_2HPO_4	60	17	trace.

Table S4. Screening of the reaction solvent



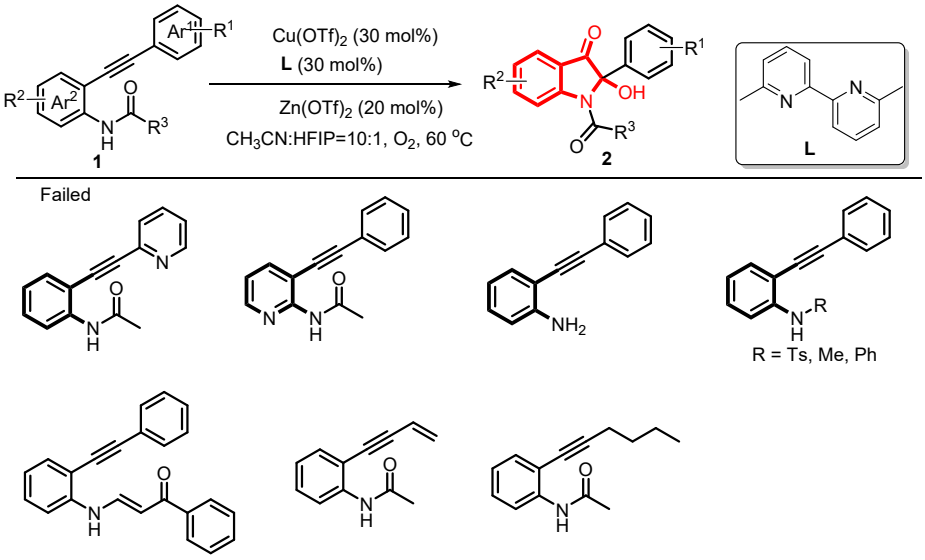
entry	solvent	T/°C	time/ h	yield/%
1	HFIP	60	17	54
2	THF	60	17	trace
3	MeCN/HFIP = 10/1	60	17	70
4	MeCN/HFIP = 20/1	60	17	57
5	MeCN/HFIP = 1/1	60	17	64

Table S5. Screening of the others reaction conditions

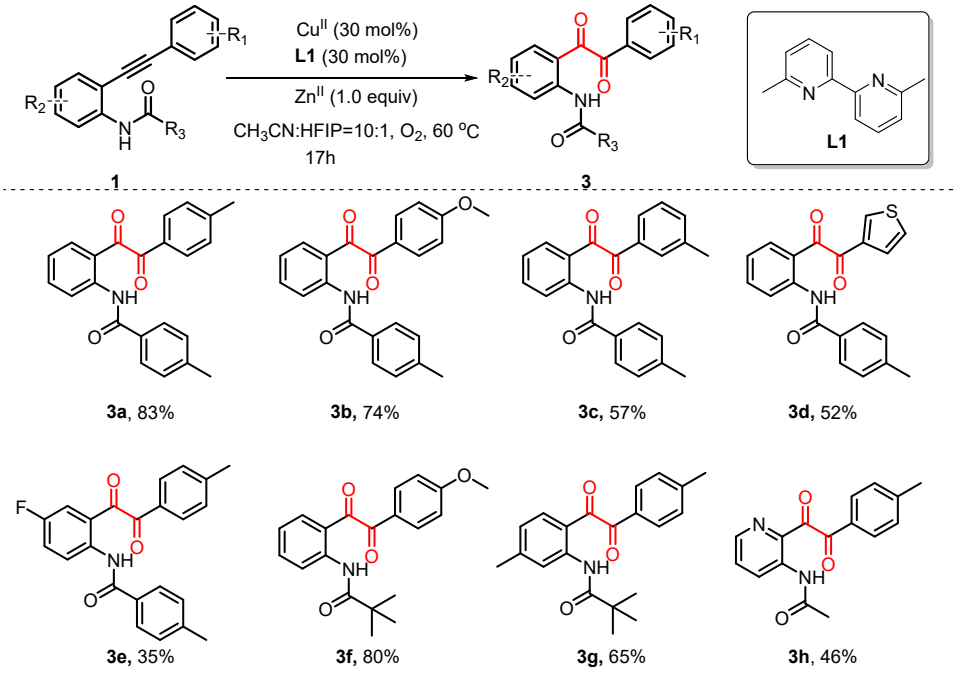


entry	catalyst/30 mol%	gaseous atmosphere	T/°C	time/ h	yield/%
1	$Cu(OTf)_2$	O_2	60	17	70
2	CuBr	O_2	60	17	trace
3	$CuBr_2$	O_2	60	17	trace
4	$Cu(OAc)_2$	O_2	60	17	n.r.
5	$Cu(OTf)_2$	O_2	80	17	53%
6	$Cu(OTf)_2$	O_2	40	17	46%
7	$Cu(OTf)_2$	N_2	60	17	n.r.

Scheme S1. Unsuccessful substrates

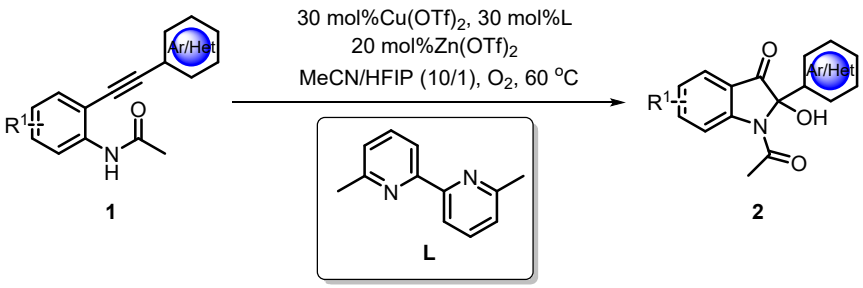


Scheme S2. Synthesis of diaryl ethylenediones



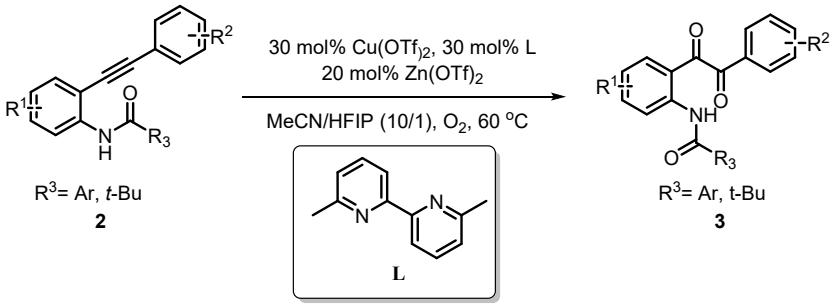
4. Experimental procedures and characterization data

General Procedure A:



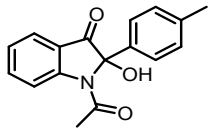
To a 15 mL-schlenk tube charged with a stirring bar was added corresponding 2-arylethynylaniline (0.2 mmol), 20 mol% $Zn(OTf)_2$, 30 mol% $Cu(OTf)_2$, and 30 mol% 6, 6'-dimethyl-2,2'-bipyridyl and 2.2 mL of MeCN/HFIP (10/1). The schleck tube was evacuated and refilled with O_2 thrice. The reaction mixture was continuously stirred at 60 °C for 17 hours. After the reaction completed, aq. NH_4Cl was added to quench the reaction. After extracting with ethyl acetate, washing with saturated sodium chloride, vacuum concentrating, and purification through the column with PE/EA = 4 : 1 to obtain the product.

General Procedure B:



To a 15 mL-schlenk tube charged with a stirring bar was added corresponding 2-arylethynylaniline (0.2 mmol), 20 mol% $Zn(OTf)_2$, 30 mol% $Cu(OTf)_2$, and 30 mol% 6, 6'-dimethyl-2,2'-bipyridyl and 2.2 mL of MeCN/HFIP (10/1). The schleck tube was evacuated and refilled with O_2 thrice. The reaction mixture was continuously stirred at 60 °C for 17 hours. After the reaction completed, aq. NH_4Cl was added to quench the reaction. After extracting with ethyl acetate, washing with saturated sodium chloride, vacuum concentrating, and purification through the column with PE/EA = 4: 1 to obtain the product.

1-acetyl-2-hydroxy-2-(p-tolyl)indolin-3-one (2a)

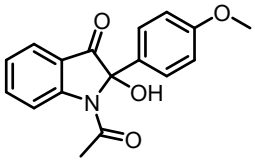


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2a** was obtained as a white solid (39.5 mg, 0.140 mmol, 70%). Rf = 0.39 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.59 (d, J = 8.4 Hz, 1H), 7.97 (s, 1H), 7.83 (t, J = 7.9 Hz, 1H), 7.70 (d, J = 7.6 Hz, 1H), 7.31 (t, J = 7.5 Hz, 1H), 7.24 – 7.19 (m, 4H), 2.28 (s, 3H), 1.91 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 196.2, 169.9, 152.5, 138.3, 138.2, 134.2, 129.6, 125.0, 124.7, 124.7, 120.0, 117.4, 90.1, 24.4, 20.7.

ESI-MS: calculated for $C_{17}H_{15}NO_3$ $[M+H]^+$: 282.1124, found: 282.1120.

1-acetyl-2-hydroxy-2-(4-methoxyphenyl)indolin-3-one (2b)

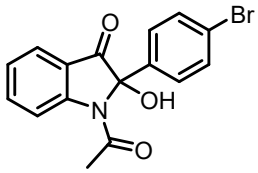


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2b** was obtained as a white solid (42.2 mg, 0.142 mmol, 71%). Rf = 0.625 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.58 (d, J = 8.4 Hz, 1H), 7.95 (s, 1H), 7.84 (td, J = 8.5, 1.4 Hz, 1H), 7.70 (d, J = 7.6 Hz, 1H), 7.32 (t, J = 7.4 Hz, 1H), 7.25 (d, J = 8.8 Hz, 2H), 6.96 (d, J = 9.0 Hz, 2H), 3.73 (s, 3H), 1.93 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 196.3, 169.9, 159.6, 152.4, 138.2, 128.9, 126.5, 124.8, 124.7, 112.0, 117.4, 114.5, 90.0, 55.2, 24.4.

HRMS (ESI-TOF): calculated for $C_{17}H_{15}NO_4$ $[M+Na]^+$: 320.0893, found: 320.0890.

1-acetyl-2-(4-bromophenyl)-2-hydroxyindolin-3-one (2c)

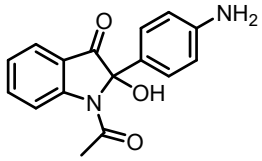


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2c** was obtained as a white solid (34.6 mg, 0.10 mmol, 50 %). Rf = 0.14 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.59 (d, J = 8.4 Hz, 1H), 8.16 (s, 1H), 7.88 – 7.84 (m, 1H), 7.73 (d, J = 7.4 Hz, 1H), 7.62 (d, J = 8.6 Hz, 2H), 7.34 (t, J = 7.4 Hz, 1H), 7.30 (d, J = 8.4 Hz, 2H), 1.93 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.7, 169.7, 152.6, 138.4, 136.6, 132.1, 127.4, 124.9, 122.3, 119.7, 117.5, 89.7, 24.4.

ESI-MS: calculated for $C_{16}H_{12}BrNO_3$ $[M+H]^+$: 346.0073, found: 346.0074.

1-acetyl-2-(2-aminophenyl)-2-hydroxyindolin-3-one (2d)

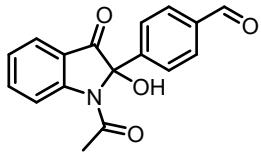


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2d** was obtained as a white solid (13.1 mg, 0.046 mmol, 23%). Rf = 0.18 (PE/EA = 4/1).

^{1}H NMR (500 MHz, Chloroform-d) δ 8.36 (d, J = 8.4 Hz, 1H), 7.53 (d, J = 7.7 Hz, 1H), 7.32 (td, J = 7.0, 1.2 Hz, 1H), 7.27 (td, J = 7.6, 1.0 Hz, 1H), 7.25 – 7.23 (m, 2H), 6.75 (d, J = 8.5 Hz, 2H), 6.54 (s, 1H), 3.89 (s, 2H), 2.11 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-d) δ 171.9, 147.0, 140.2, 137.5, 130.2, 129.2, 124.6, 124.0, 123.6, 123.5, 120.1, 116.0, 115.0, 110.4, 27.9.

HRMS (ESI-TOF): calculated for C16H14N2O3 [M+Na]+: 305.0897, found: 305.0891.

4-(1-acetyl-2-hydroxy-3-oxoindolin-2-yl)benzaldehyde (2e)

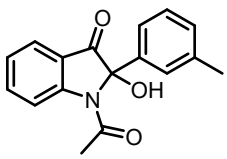


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2e** was obtained as a white solid (37.8 mg, 0.128 mmol, 64%). Rf = 0.3 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.01 (s, 1H), 8.61 (d, J = 8.4 Hz, 1H), 8.29 (s, 1H), 7.96 (d, J = 8.5 Hz, 2H), 7.88 (td, J = 7.4, 1.4 Hz,1H), 7.75 (d, J = 7.6 Hz, 1H), 7.59 (d, J = 8.1 Hz, 2H), 7.35 (t, J = 7.9 Hz,1H), 1.91 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.5, 192.7, 169.6, 152.7, 143.3, 138.6, 136.4, 130.3, 126.0, 124.9, 119.7, 117.6, 89.8, 24.4.

ESI-MS: calculated for $C_{17}H_{13}NO_4$ $[M+H]^+$: 296.0917, found: 296.0921.

1-acetyl-2-hydroxy-2-(m-tolyl)indolin-3-one (2f)



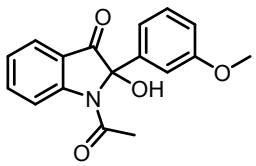
The title compound was prepared via the general procedure **A,** after purification by silica gel column chromatography (PE/EA = 8/1), **2f** was obtained as a white solid (38.1 mg, 0.135 mmol, 67%). Rf = 0.21 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.60 (d, J = 8.4 Hz, 1H), 8.01 (s, 1H), 7.85 (t, J = 7.5 Hz, 1H), 7.72 (d, 1H), 7.32 (t, J = 7.4 Hz, 1H), 7.28 (t, J = 7.9 Hz, 1H), 7.19 (s, 1H), 7.19 (d, J = 6.7 Hz, 1H), 7.10 (d, J = 7.7 Hz, 1H), 2.29 (s, 3H), 1.92 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 196.1, 169.9, 152.6, 138.4, 138.3, 137.1, 129.5, 129.0, 125.5, 124.8, 124.7, 122.1, 120.0, 117.4, 90.1, 24.4, 21.1.

ESI-MS: calculated for $C_{17}H_{15}NO_3$ $[M+H]^+$: 282.1124, found: 282.1120.

1-acetyl-2-hydroxy-2-(3-methoxyphenyl)indolin-3-one (2g)

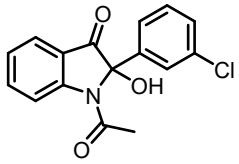


The title compound was prepared via the general procedure **A** with 1.0 equiv. $Cu(OTf)_2$, after purification by silica gel column chromatography (PE/EA = 4/1), **2g** was obtained as a white solid (56%). Rf = 0.375 (PE/EA = 2/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.59 (d, J = 8.4 Hz, 1H), 8.06 (s, 1H), 7.85 (t, J = 8.4, 7.4, 1.4 Hz, 1H), 7.73 (d, J = 7.4 Hz , 1H), 7.35 – 7.29 (m, 2H), 6.98 – 6.95 (m, 2H), 6.78 (d, J = 7.9 Hz, 1H), 3.75 (s, 3H), 1.94 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.8, 169.9, 159.8, 152.6, 138.8, 138.3, 130.3, 124.8, 124.7, 119.9, 117.4, 116.8, 113.8, 111.4, 89.9, 55.2, 24.4.

ESI-MS: calculated for $C_{17}H_{15}NO_4$ $[M+H]^+$: 298.1073, found: 298.1070.

1-acetyl-2-(3-chlorophenyl)-2-hydroxyindolin-3-one (2h)

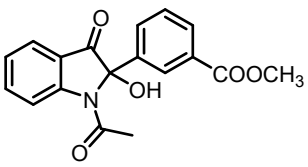


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2h** was obtained as a white solid (40.0 mg, 0.132 mmol, 66%). Rf = 0.14 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.59 (d, J = 8.4 Hz, 1H), 8.25 (s, 1H), 7.87 (td, J = 8.6, 7.3, 1.5 Hz, 1H), 7.74 (d, J = 7.6 Hz, 1H), 7.49 – 7.45 (m, 2H), 7.43 (t, J = 7.9 Hz, 1H), 7.34 (td, J = 7.5, 0.8 Hz, 1H), 7.20 (d, J = 7.7 Hz, 1H), 1.94 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.6, 169.6, 152.6, 139.6, 138.5, 133.9, 131.1, 129.0, 125.3, 124.9, 124.9, 123.6, 119.6, 117.5, 89.4, 24.4.

ESI-MS: calculated for $C_{16}H_{12}ClNO_3$ $[M+H]^+$:302.0578, found: 302.0573.

Methyl 3-(1-acetyl-2-hydroxy-3-oxoindolin-2-yl)benzoate(2i)



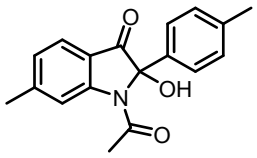
The title compound was prepared via the general procedure **A** with 1.0 equiv. $Cu(OTf)_2$, after purification by silica gel column

chromatography (PE/EA = 8/1), **2i** was obtained as a white solid (48%). Rf = 0.15 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.61 (d, J = 8.4 Hz, 1H), 8.28 (s, 1H), 8.01 – 7.97 (m, 2H), 7.88 (td, J = 8.6, 1.4 Hz, 1H), 7.74 (dd, J = 7.4, 1.1 Hz, 1H), 7.59 – 7.56 (m, 2H), 7.36 (td, J = 7.6, 0.8 Hz, 1H), 3.84 (s, 3H), 1.90 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.8, 169.7, 165.8, 152.7, 138.6, 138.0, 130.5, 129.9, 129.8, 129.7, 125.9, 125.0, 119.7, 117.5, 89.6, 52.4, 24.4.

ESI-MS: calculated for $C_{18}H_{15}NO_5$ $[M+H]^+$: 326.1022, found: 326.1018.

1-acetyl-2-hydroxy-6-methyl-2-(p-tolyl)indolin-3-one (2k)



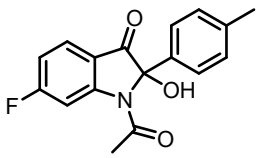
The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2k** was obtained as a white solid (73%). Rf = 0.2 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.44 (s, 1H), 7.95 (s, 1H), 7.59 (d, J = 7.8 Hz, 1H), 7.21 (d, J = 2.2 Hz, 4H), 7.16 – 7.14 (m, 1H), 2.48 (s, 3H), 2.28 (s, 3H), 1.90 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 195.4, 169.9, 152.8, 149.6, 138.2, 134.4, 129.6, 125.8, 125.0, 124.6, 117.8, 117.6, 90.5, 40.0, 24.4, 22.5, 20.7.

.ESI-MS: calculated for $C_{18}H_{17}NO_3$ $[M+H]^+$: 296.1281, found: 296.1275.

1-acetyl-6-fluoro-2-hydroxy-2-(p-tolyl)indolin-3-one (2l)



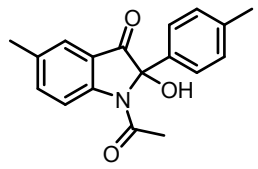
The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2l** was obtained as a white solid (48.4 mg, 0.162 mmol, 81%). Rf = 0.375 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.30 (dd, J = 11.1, 2.3 Hz, 1H), 8.09 (s, 1H), 7.81 (dd, J = 8.5, 6.0 Hz, 1H), 7.26 – 7.20 (m, 4H), 7.17 (td, J = 8.7, 2.3 Hz, 1H), 2.28 (s, 3H), 1.92 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 194.5, 170.2, 168.0 (d, J = 254.0 Hz), 154.1 (d, J = 14.5 Hz), 138.5, 133.9, 129.7, 127.5 (d, J = 12.1 Hz), 125.1, 116.8, 112.6 (d, J = 24.1 Hz), 104.7 (d, J = 29.4 Hz), 90.9, 24.3, 20.7. ^{19}F NMR (471 MHz, DMSO-d_6) δ -97.08 (dd, J = 11.1, 7.2 Hz).

ESI-MS: calculated for $C_{17}H_{14}FNO_3$ $[M+H]^+$: 300.1030, found: 300.1025.

1-acetyl-2-hydroxy-5-methyl-2-(p-tolyl)indolin-3-one (2m)

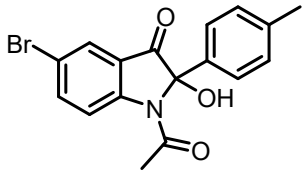


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2m** was obtained as a white solid (44.3 mg, 0.150 mmol, 75%). Rf = 0.25 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.48 (d, J = 8.5 Hz, 1H), 7.95 (s, 1H), 7.66 (d, J = 8.7 Hz, 1H), 7.5 (S, 1H), 7.20 (s, 4H), 2.35 (s, 3H), 2.28 (s, 3H), 1.89 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 196.2, 169.6, 150.7, 139.0, 138.2, 134.4, 134.2, 129.6, 125.0, 124.2, 120.1, 117.2, 90.3, 24.3, 20.7, 20.2.

HRMS (ESI-TOF): calculated for $C_{18}H_{17}NO_3$ $[M+Na]^+$: 318.1101, found: 318.1100.

1-acetyl-5-bromo-2-hydroxy-2-(p-tolyl)indolin-3-one (2n)

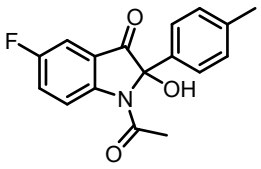


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2n** was obtained as a white solid (40.3 mg, 0.112 mmol, 56%). Rf = 0.29 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.54 (d, J = 8.9 Hz, 1H), 8.07 (s, 1H), 8.00 (dd, J = 8.9, 2.3 Hz, 1H), 7.87 (d, J = 2.2 Hz, 1H), 7.24 – 7.20 (m, 4H), 2.28 (s, 3H), 1.91 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.1, 182.8, 169.9, 151.4, 140.4, 138.5, 133.7, 129.7, 126.9, 125.1, 121.9, 119.5, 116.4, 90.4, 24.3, 20.7.

ESI-MS: calculated for $C_{17}H_{14}BrNO_3$ $[M+H]^+$: 361.0029, found: 361.0022.

1-acetyl-5-fluoro-2-hydroxy-2-(p-tolyl)indolin-3-one (2o)



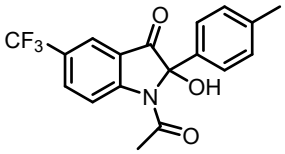
The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2o** was obtained as a white solid (29.7 mg, 0.099 mmol, 50%). Rf = 0.55 (PE/EA = 2/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.62 (dd, J = 9.1, 4.3 Hz, 1H), 8.05 (s, 1H), 7.73 (td, J = 9.1, 2.9 Hz, 1H), 7.56 (dd, J = 7.0, 2.9 Hz, 1H), 7.25 – 7.20 (m, 4H), 2.29 (s, 3H), 1.90 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.7, 169.6, 158.6 (d, J = 244.3 Hz), 149.1, 138.5, 133.8, 129.7,

125.2 (d, J = 23.9 Hz), 121.3 (d, J = 7.4 Hz), 119.2 (d, J = 7.3 Hz), 110.3 (d, J = 23.2 Hz), 90.6, 24.2, 20.7. ^{19}F NMR (471 MHz, DMSO-d_6) δ -117.28 (t, J = 9.5 Hz).

ESI-MS: calculated for $C_{17}H_{14}FNO_3$ $[M+H]^+$:300.1030, found: 300.1025.

1-acetyl-2-hydroxy-2-(p-tolyl)-5-(trifluoromethyl)indolin-3-one (2p)

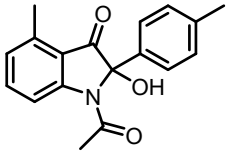


The title compound was prepared via the general procedure **A,** after purification by silica gel column chromatography (PE/EA = 8/1), **2p** was obtained as a white solid (41%). Rf = 0.33 (PE/EA = 4/1).

^{1}H NMR (500 MHz, Chloroform-d) δ 8.57 (d, J = 8.3 Hz, 1H), 8.10 (s, 1H), 7.74 (s, 1H), 7.56 (d, J = 8.7 Hz, 1H), 7.44 (d, J = 8.0 Hz, 2H), 7.22 (d, J = 7.8 Hz, 2H), 2.41 (s, 3H), 2.27 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-d) δ 168.4, 141.4, 139.9, 131.5, 129.5, 128.7 (d, J = 3.8 Hz), 126.4 (d, J = 3.5 Hz), 125.4 (d, J = 33.5 Hz), 123.7 (d, J = 272.0 Hz), 119.0, 118.6, 112.3, 98.0, 82.3, 25.1, 21.6. ^{19}F NMR (471 MHz, Chloroform-d) δ -62.34.

HRMS (ESI-TOF): calculated for $C_{18}H_{14}F_3NO_3$ $[M+Na]^+$: 372.0818, found: 372.0816.

1-acetyl-2-hydroxy-4-methyl-2-(p-tolyl)indolin-3-one (2q)

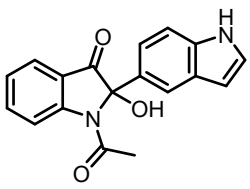


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2q** was obtained as a white solid (51%). Rf = 0.18 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.45 (d, J = 8.4 Hz, 1H), 7.90 (s, 1H), 7.67 (t, J = 7.8 Hz, 1H), 7.23 – 7.19 (m, 4H), 7.10 (d, J = 7.5 Hz, 1H), 2.48 (s, 3H), 2.28 (s, 3H), 1.90 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 196.8, 169.8, 153.0, 139.6, 138.2, 137.3, 134.6, 129.6, 126.1, 125.0, 117.6, 114.7, 89.7, 24.5, 20.7, 18.0.

ESI-MS: calculated for $C_{18}H_{17}NO_3$ $[M+H]^+$: 296.1281, found: 296.1282.

1-acetyl-2-hydroxy-2-(1H-indol-5-yl)indolin-3-one (2r)



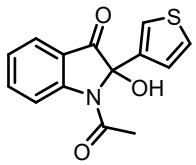
The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 8/1), **2r** was obtained as a white solid (52%). Rf = 0.2 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 11.34 (s, 1H), 8.24 (d, J = 8.2 Hz, 1H), 7.72 (s, 1H), 7.59 (d, J = 6.9 Hz, 1H), 7.52 (d, J = 8.3 Hz, 1H), 7.45 (t, J = 2.7 Hz, 1H), 7.31 (td, J = 8.3, 7.8, 1.5 Hz, 1H), 7.26 (td, J = 7.4, 1.2 Hz, 1H), 7.21 (dd, J = 8.3, 1.6 Hz, 1H), 6.69 (s, 1H), 6.53 (s, 1H), 1.98 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 171.6, 141.6, 136.9, 135.8, 129.0, 127.7, 126.6, 124.2, 124.2, 123.4, 122.3, 120.6, 120.2, 115.4, 111.7, 109.9, 101.6, 27.4.

HRMS (ESI-TOF): calculated for $C_{18}H_{14}N_2O_3$ $[M+Na]^+$: 329.0896, found: 329.0898.

1-acetyl-2-hydroxy-2-(thiophen-3-yl)indolin-3-one(2s)

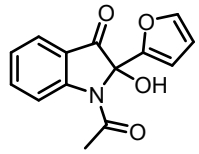


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2s** was obtained as a white solid (27.2 mg, 0.100 mmol, 50%). Rf = 0.34 (PE/EA = 2/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.56 (d, J = 8.4 Hz, 1H), 7.95 (s, 1H), 7.83 (t, J = 7.8 Hz, 1H), 7.72 (d, J = 7.5 Hz, 1H), 7.56 (dt, J = 8.0, 2.1 Hz, 2H), 7.32 (t, J = 7.4 Hz, 1H), 6.86 (d, J = 4.9 Hz, 1H), 2.00 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.5, 169.8, 152.0, 138.7, 138.2, 128.1, 124.7, 124.6, 123.8, 119.8, 117.6, 89.0, 24.2.

HRMS (ESI-TOF): calculated for $C_{14}H_{11}NO_3S$ $[M+Na]^+$: 296.0352, found: 296.0352.

1-acetyl-2-(furan-2-yl)-2-hydroxyindolin-3-one (2t)

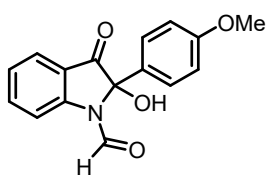


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2t** was obtained as a white solid (26.2 mg, 0.102 mmol, 51%). Rf = 0.14 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.52 (d, J = 8.4 Hz, 1H), 8.20 (s, 1H), 7.82 (ddd, J = 8.6, 7.4, 1.5 Hz, 1H), 7.74 (d, J = 7.4 Hz, 1H), 7.62 (dd, J = 1.7, 0.8 Hz, 1H), 7.31 (td, J = 7.5, 0.7 Hz, 1H), 6.69 (dd, J = 3.3, 0.8 Hz, 1H), 6.52 (dd, J = 3.3, 1.8 Hz, 1H), 2.04 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 194.7, 169.8, 152.3, 149.6, 143.9, 138.5, 124.6, 119.8, 117.6, 111.3, 109.8, 86.8, 23.4.

ESI-MS: calculated for $C_{14}H_{11}NO_4$ $[M+Na]^+$:280.0580, found: 280.0581.

2-hydroxy-2-(4-methoxyphenyl)-3-oxoindoline-1-carbaldehyde (2u)

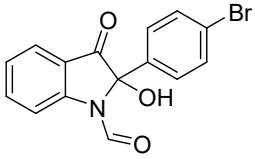


The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2u** was obtained as a white solid (53%). Rf = 0.37 (PE/EA = 2/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.48 (s, 1H), 8.37 (d, J = 8.2 Hz, 1H), 8.07 (s, 1H), 7.89 (td, J = 1.2 , 8.4 Hz, 1H), 7.76 (d, J = 7.5 Hz, 1H), 7.37 (t, J = 7.4 Hz, 1H), 7.31 (d, J = 8.9 Hz, 2H), 6.96 (d, J = 8.9 Hz, 2H), 3.74 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 196.1, 160.7, 159.9, 150.1, 138.5, 128.8, 127.1, 125.4, 125.1, 120.3, 116.4, 114.4, 89.3, 55.3.

HRMS (ESI-TOF): calculated for $C_{16}H_{13}NO_4$ $[M+Na]^+$: 306.0737, found: 306.0736.

2-(4-bromophenyl)-2-hydroxy-3-oxoindoline-1-carbaldehyde (2v)

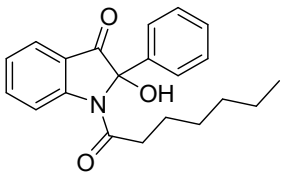


The title compound was prepared via the general procedure **A** with 1.0 equiv. $Cu(OTf)_2$, after purification by silica gel column chromatography (PE/EA = 4/1), **2v** was obtained as a white solid (29.2 mg, 0.088 mmol, 44%). Rf = 0.33 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.50 (s, 1H), 8.39 (d, J = 8.2 Hz, 1H), 8.28 (s, 1H), 7.93 – 7.89 (m, 1H), 7.79 (d, J = 7.9 Hz, 1H), 7.63 (d, J = 8.6 Hz, 2H), 7.40 (t, J = 7.5 Hz, 1H), 7.36 (d, J = 8.6 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 195.5, 160.6, 150.3, 138.7, 132.0, 128.0, 125.5, 125.2, 122.7, 116.6, 89.0.

ESI-MS: calculated for $C_{15}H_{10}BrNO_3$ $[M+Na]^+$: 353.9736, found: 353.9735.

1-heptanoyl-2-hydroxy-2-phenylindolin-3-one (2w)



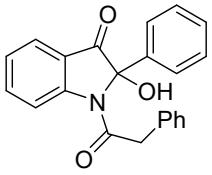
The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 16/1), **2w** was obtained as a white solid (30.1 mg, 0.088 mmol, 44%). Rf = 0.47 (PE/EA = 8/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.64 (d, J = 8.4 Hz, 1H), 8.05 (s, 1H), 7.85 (ddd, J = 8.6, 7.5, 1.4 Hz, 1H), 7.73 – 7.70 (m, 1H), 7.42 – 7.31 (m, 6H), 2.49 – 2.43 (m, 1H), 1.98 (ddd, J = 15.7, 8.4, 6.5 Hz, 1H), 1.28 – 1.22 (m, 2H), 1.15 – 1.08 (m, 2H), 1.03 – 0.93 (m, 4H), 0.77 (t, J = 7.3 Hz, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 196.6, 173.4, 153.2, 138.7, 137.8, 129.4, 129.2, 125.5, 125.2, 125.1, 120.4, 118.0, 90.5, 35.9, 31.2, 28.5, 24.6, 22.3, 14.3.

ESI-MS: calculated for $C_{21}H_{23}NO_3$ $[M+Na]^+$: 360.1570, found: 360.1562.

2-hydroxy-2-phenyl-1-(2-phenylacetyl)indolin-3-one (2x)



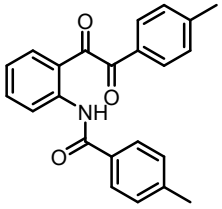
The title compound was prepared via the general procedure **A**, after purification by silica gel column chromatography (PE/EA = 4/1), **2v** was obtained as a white solid (16.5 mg, 0.048 mmol, 24%). Rf = 0.17 (PE/EA = 8/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.59 (d, J = 8.4 Hz, 1H), 8.29 (s, 1H), 7.85 (ddd, J = 8.6, 7.4, 1.4 Hz, 1H), 7.77 – 7.72 (m, 1H), 7.43 (p, J = 3.5, 2.8 Hz, 5H), 7.37 – 7.32 (m, 1H), 7.21 – 7.13 (m, 3H), 6.89 (dd, J = 7.7, 1.5 Hz, 2H), 3.83 (d, J = 15.7 Hz, 1H), 3.34 (s, 1H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 196.3, 171.4, 153.0, 138.8, 137.8, 135.4, 130.0, 129.7, 129.5, 128.5, 126.9, 125.6, 125.4, 125.3, 120.6, 118.0, 90.7, 42.4.

ESI-MS: calculated for $C_{22}H_{17}NO_3$ $[M+Na]^+$: 366.1100, found: 366.1095.

4-methyl-N-(2-(2-oxo-2-(p-tolyl)acetyl)phenyl)benzamid (3a)

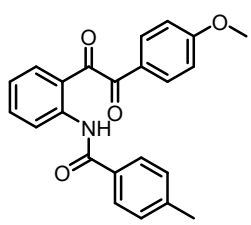


The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 8/1), **3a** was obtained as a white solid (59.1 mg, 0.165 mmol, 83%). Rf = 0.475 (PE/EA = 4/1).

^{1}H NMR (500 MHz, Chloroform-d) δ 12.32 (s, 1H), 9.08 (d, J = 8.5 Hz, 1H), 8.01 (d, J = 8.2 Hz, 2H), 7.86 (d, J = 8.1 Hz, 2H), 7.69 (t, J = 7.9 Hz, 1H), 7.65 – 7.59 (m, 1H), 7.33 (d, J = 8.1 Hz, 4H), 7.09 (t, J = 7.6 Hz, 1H), 2.43 (d, J = 5.9 Hz, 6H). ^{13}C NMR (125 MHz, Chloroform-d) δ 199.6, 192.9, 166.2, 146.6, 143.0, 143.0, 137.2, 134.3, 131.5, 130.4, 130.1, 129.9, 129.6, 127.6, 122.6, 120.8, 118.3, 22.0, 21.6.

ESI-MS: calculated for $C_{23}H_{19}NO_3$ $[M+H]^+$: 358.1437, found: 358.1437.

N-(2-(2-(4-methoxyphenyl)-2-oxoacetyl)phenyl)-4-methylbenzamide (3b)



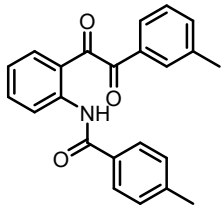
The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 8/1), **3b** was obtained as a white solid (55.5 mg, 0.149 mmol, 74%). Rf = 0.29 (PE/EA = 4/1).

^{1}H NMR (500 MHz, Chloroform-d) δ 12.33 (s, 1H), 9.06 (d, J = 8.5 Hz, 1H), 8.01 (d, J = 8.2 Hz, 2H), 7.93 (d, J = 8.8 Hz, 2H), 7.68 (t, J = 7.9 Hz, 1H), 7.64 (d, J = 6.9 Hz, 1H), 7.32 (d, J = 8.0 Hz, 2H), 7.09 (t, J = 7.6 Hz, 1H), 6.98 (d, J = 8.9 Hz, 2H), 3.88 (s, 3H), 2.42 (s, 3H). ^{13}C

NMR (125 MHz, Chloroform-*d*) δ 199.7, 191.8, 166.2, 165.2, 143.0, 142.9, 137.1, 134.3, 132.5, 131.6, 129.6, 127.6, 125.8, 122.6, 120.8, 118.4, 114.6, 55.7, 21.6.

HRMS (ESI-TOF): calculated for $C_{23}H_{19}NO_4$ $[M+Na]^+$: 396.1206, found: 396.1206.

4-methyl-N-(2-(2-oxo-2-(m-tolyl)acetyl)phenyl)benzamide (3c)

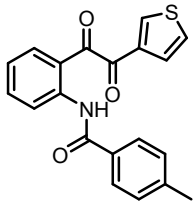


The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 16/1), **3c** was obtained as a white solid (40.8 mg, 0.114 mmol, 57 %). Rf = 0.25 (PE/EA = 16/1).

^{1}H NMR (500 MHz, Chloroform-*d*) δ 12.31 (s, 1H), 9.08 (d, *J* = 8.5 Hz, 1H), 8.02 (d, *J* = 8.2 Hz, 2H), 7.77 (d, *J* = 8.3 Hz, 2H), 7.72 – 7.67 (m, 1H), 7.62 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.49 (d, *J* = 7.5 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.33 (d, *J* = 8.1 Hz, 2H), 7.12 – 7.08 (m, 1H), 2.43 (s, 3H), 2.42 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 199.5, 193.4, 166.2, 143.0, 143.0, 139.3, 137.3, 136.1, 134.3, 132.8, 131.5, 130.3, 129.6, 129.1, 127.6, 127.4, 122.7, 120.9, 118.3, 21.6, 21.3.

HRMS (ESI-TOF): calculated for $C_{23}H_{19}NO_3$ $[M+Na]^+$: 380.1257, found: 380.1258.

4-methyl-N-(2-(2-oxo-2-(thiophen-3-yl)acetyl)phenyl)benzamide (3d)

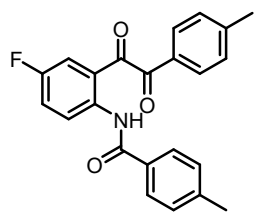


The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 8/1), **3d** was obtained as a white solid (36.6 mg, 0.105 mmol, 52%). Rf = 0.42 (PE/EA = 4/1).

^{1}H NMR (500 MHz, Chloroform-*d*) δ 12.24 (s, 1H), 9.06 (d, *J* = 8.2 Hz, 1H), 8.19 (dd, *J* = 2.8, 1.2 Hz, 1H), 8.00 (d, *J* = 8.2 Hz, 2H), 7.71 –7.68 (m, 2H), 7.66 (dd, *J* = 5.2, 1.2 Hz, 1H), 7.43 (dd, *J* = 5.1, 2.9 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.14 – 7.09 (m, 1H), 2.43 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 198.1, 186.4, 166.2, 143.2, 143.0, 137.9, 137.3, 137.1, 134.3, 131.5, 129.6, 127.6, 127.6, 127.1, 122.6, 120.9, 117.8, 21.6.

HRMS (ESI-TOF): calculated for $C_{20}H_{15}NO_3S$ $[M+Na]^+$: 372.0665, found: 372.0663.

N-(4-fluoro-2-(2-oxo-2-(p-tolyl)acetyl)phenyl)-4-methylbenzamide (3e)

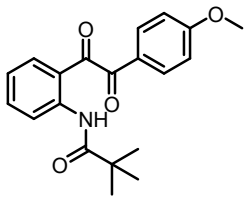


The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 32/1), **3e** was obtained as a white solid (26.0 mg, 0.069 mmol, 35%). Rf = 0.2 (PE/EA = 16/1).

^{1}H NMR (500 MHz, Chloroform-*d*) δ 12.15 (s, 1H), 9.10 (dd, *J* = 9.4, 4.9 Hz, 1H), 7.99 (d, *J* = 8.2 Hz, 2H), 7.86 (d, *J* = 8.2 Hz, 2H), 7.42 (ddd, *J* = 9.6, 7.5, 3.0 Hz, 1H), 7.36 – 7.30 (m, 5H), 2.46 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 198.4, 192.1, 166.1, 158.0, 156.0, 147.0, 143.1, 139.4, 131.3, 130.2, 130.0, 129.7, 127.6, 124.5 (d, *J* = 21.9 Hz), 122.9 (d, *J* = 6.7 Hz), 119.5 (d, *J* = 23.4 Hz), 118.9 (d, *J* = 5.3 Hz), 22.0, 21.6. ^{19}F NMR (471 MHz, Chloroform-*d*) δ -118.11 (td, *J* = 8.1, 5.0 Hz).

HRMS (ESI-TOF): calculated for $C_{23}H_{18}FNO_3$ $[M+Na]^+$: 398.1163, found: 398.1158

N-(2-(2-(4-methoxyphenyl)-2-oxoacetyl)phenyl)pivalamide (3f)

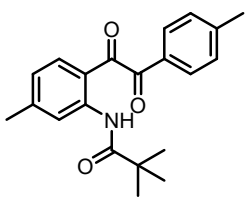


The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 8/1), **3f** was obtained as a white solid (54.6 mg, 0.161 mmol, 80%). Rf = 0.4 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.98 (s, 1H), 8.33 (d, *J* = 8.4 Hz, 1H), 7.97 (d, *J* = 8.8 Hz, 2H), 7.73 (t, *J* = 7.3 Hz, 1H), 7.64 – 7.59 (m, 1H), 7.24 (t, *J* = 7.6 Hz, 1H), 7.13 (d, *J* = 8.8 Hz, 2H), 3.88 (s, 3H), 1.17 (s, 9H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 196.9, 190.9, 177.4, 164.8, 140.7, 136.1, 133.0, 132.8, 124.9, 123.6, 121.3, 114.7, 55.9, 26.9.

ESI-MS: calculated for $C_{20}H_{21}NO_4$ $[M+H]^+$: 340.1543, found: 340.1548.

N-(5-methyl-2-(2-oxo-2-(p-tolyl)acetyl)phenyl)pivalamide (3g)

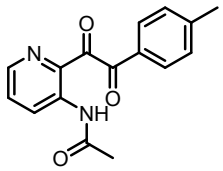


The title compound was prepared via the general procedure **B**, after purification by silica gel column chromatography (PE/EA = 8/1), **3g** was obtained as a white solid (44.2 mg, 0.130 mmol, 65%). Rf = 0.57 (PE/EA = 4/1)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 11.68 (s, 1H), 8.80 (s, 1H), 7.85 (d, *J* = 8.2 Hz, 2H), 7.46 (d, *J* = 8.1 Hz, 1H), 7.34 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 8.1 Hz, 1H), 2.47 (s, 3H), 2.43 (s, 3H), 1.41 (s, 9H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 198.6, 193.2, 178.8, 149.1, 146.4, 142.9, 134.2, 130.5, 130.1, 129.9, 123.4, 121.0, 116.2, 40.6, 27.6, 22.6, 22.0.

HRMS (ESI-TOF): calculated for $C_{21}H_{23}NO_3$ $[M+Na]^+$: 360.1570, found: 360.1565.

N-(2-(2-oxo-2-(p-tolyl)acetyl)pyridine-3-yl)acetamide (3h)

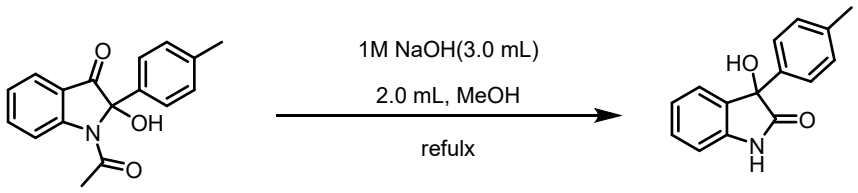


The title compound was prepared via the general procedure **B,** after purification by silica gel column chromatography (PE/EA = 8/1), **3h** was obtained as a white solid (25.7 mg, 0.091 mmol, 46%). Rf = 0.13 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.65 (s, 1H), 8.80 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.34 (dd, *J* = 4.4, 1.3 Hz, 1H), 7.80 (d, *J* = 8.2 Hz, 2H), 7.72 (dd, *J* = 8.7, 4.4 Hz, 1H), 7.39 (d, *J* = 8.0 Hz, 2H), 2.40 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 198.2, 195.1, 170.3, 146.3, 144.6, 138.4, 137.1, 130.7, 130.3, 130.2, 129.8, 129.7, 25.1, 21.9.

ESI-MS: calculated for $C_{16}H_{14}N_2O_3$ $[M+H]^+$: 283.1077, found: 283.1079.

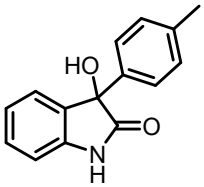
General Procedure C:



2 4

To a 25mL round bottom flask was added 0.2 mmol **2**, 2.0 mL methanol and 3.0 mL (1M NaOH). The reaction mixture was refluxed for 2-8h. After the reaction completed, saturated sodium bicarbonate solution was added, extracted with ethyl acetate, the organic phase was collected, dried with anhydrous sodium sulfate, and concentrated in vacuo. The crude product was purified by column chromatography to afford **4**

2-hydroxy-2-(p-tolyl)indolin-3-one (4a)

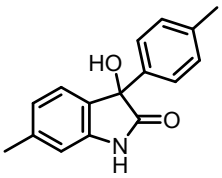


The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4a** was obtained as a White solid (81%). Rf = 0.46 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.34 (s, 1H), 7.22 (t, J = 7.7 Hz, 1H), 7.17 (d, J = 8.1 Hz, 2H), 7.11 – 7.07 (m, 3H), 6.95 (t, J = 7.5 Hz, 1H), 6.89 (d, J = 7.7 Hz, 1H), 6.54 (s, 1H), 2.26 (s, 3H).^{13}C NMR (125 MHz, DMSO-d_6) δ 178.6, 141.9, 138.6, 136.5, 133.8, 129.1, 128.6, 125.4, 124.7, 121.9, 109.8, 77.2, 20.7.

HRMS (ESI-TOF): calculated for $C_{15}H_{13}NO_2$ $[M+Na]^+$: 262.0838, found: 262.0838.

3-hydroxy-6-methyl-3-(p-tolyl)indolin-2-one (4b)



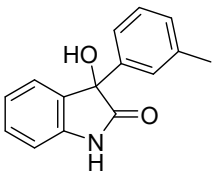
The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4b** was obtained as a White solid (95%). Rf = 0.48 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.31 (s, 1H), 7.14 (d, J = 8.3 Hz, 2H), 7.10 (d, J = 8.2 Hz, 2H), 6.95 (d, J = 7.5 Hz, 1H), 6.77 (d, J = 7.5 Hz, 1H), 6.70 (s, 1H), 6.48 (s, 1H), 2.29 (s, 3H), 2.26 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 179.3, 142.5, 139.2, 139.2, 136.9, 131.4, 129.0, 125.9, 125.0, 122.9, 110.9, 77.5, 21.8, 21.1.

ESI-MS: calculated for $C_{16}H_{15}NO_2$ $[M+Na]^+$: 276.0994, found: 276.0995.

3-hydroxy-3-(m-tolyl)indolin-2-one (4c)

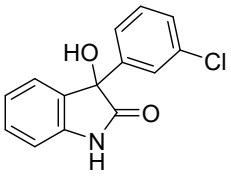


The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4c** was obtained as a White solid (72%). Rf = 0.38 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.39 (s, 1H), 7.24 (t, J = 7.5 Hz, 1H), 7.18 (t, J = 7.6 Hz, 1H), 7.11 (s, 1H), 7.07 (t, J = 6.8 Hz, 2H), 7.03 (d, J = 7.7 Hz, 1H), 6.96 (t, J = 7.4 Hz, 1H), 6.89 (d, J = 7.7 Hz, 1H), 6.58 (s, 1H), 2.26 (s, 3H).

^{13}C NMR (125MHz, DMSO-d_6) δ 179.0, 142.4, 142.0, 137.6, 134.3, 129.7, 128.5, 128.5, 126.3, 125.2, 123.0, 122.5, 110.3, 77.7, 21.6.

3-(3-chlorophenyl)-3-hydroxyindolin-2-one (4d)

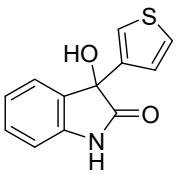


The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4d** was obtained as a White solid (80%). Rf = 0.42 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.50 (s, 1H), 7.37 – 7.31 (m, 3H), 7.28 (td, J = 7.7, 1.2 Hz, 1H), 7.12 (d, J = 7.2 Hz, 1H), 7.10 – 7.07 (m, 1H), 7.01 – 6.97 (m, 1H), 6.92 (d, J = 7.7 Hz, 1H), 6.83 (s, 1H).

^{13}C NMR (125MHz, DMSO-d_6) δ 178.3, 144.4, 142.4, 133.4, 133.4, 130.6, 130.1, 128.0, 125.8, 125.3, 124.6, 122.8, 110.5, 77.4.

3-hydroxy-3-(thiophen-3-yl)indolin-2-one (4e)

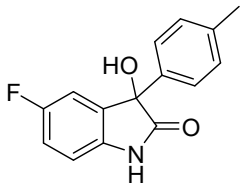


The title compound was prepared via the general procedure **C,** after purification by silica gel column chromatography (PE/EA = 2/1), **4e** was obtained as a White solid (69%). Rf = 0.44 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.39 (s, 1H), 7.47 (dd, J = 5.0, 3.0 Hz, 1H), 7.28 – 7.23 (m, 2H), 7.21 (dd, J = 3.0, 1.2 Hz, 1H), 7.02 (dd, J = 5.1, 1.2 Hz, 1H), 6.99 (td, J = 8.3, 7.6, 0.8 Hz, 1H), 6.90 – 6.86 (m, 1H), 6.60 (s, 1H).

^{13}C NMR (125MHz, DMSO-d_6) δ 178.3, 142.9, 142.0, 133.4, 129.7, 126.9, 126.7, 125.2, 122.6, 122.4, 110.3, 76.0.

5-fluoro-3-hydroxy-3-(p-tolyl)indolin-2-one (4f)

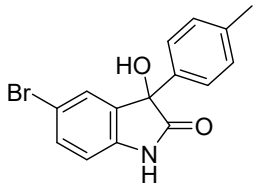


The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4f** was obtained as a White solid (57%). Rf = 0.32 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.41 (s, 1H), 7.14 (q, J = 8.4 Hz, 4H), 7.11 – 7.07 (m, 1H), 6.94 (dd, J = 8.0, 2.7 Hz, 1H), 6.89 (dd, J = 8.5, 4.3 Hz, 1H), 6.70 (s, 1H), 2.27 (s, 3H).^{13}C NMR (125 MHz, DMSO-d_6) δ 179.0, 159.6, 157.7, 138.5, 137.3, 136.0, 135.9, 129.2, 125.8, 116.0, 115.8, 112.8, 112.6, 111.2, 111.2, 77.9, 21.1.

^{19}F NMR (471 MHz, DMSO-d_6) δ -121.31 (d, J = 13.4 Hz).

5-bromo-3-hydroxy-3-(p-tolyl)indolin-2-one (4g)

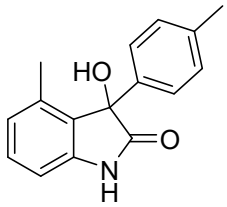


The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4g** was obtained as a White solid (70%). Rf = 0.45 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.55 (s, 1H), 7.43 (dd, J = 8.3, 2.1 Hz, 1H), 7.20 (d, J = 2.0 Hz, 1H), 7.18 – 7.12 (m, 4H), 6.88 (d, J = 8.3 Hz, 1H), 6.74 (s, 1H), 2.27 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 178.5 , 141.7 , 138.3 , 137.4 , 136.7 , 132.3 , 129.3 , 127.8 , 125.7 , 114.1 , 112.4 , 77.7 , 21.1

3-hydroxy-4-methyl-3-(p-tolyl)indolin-2-one (4h)



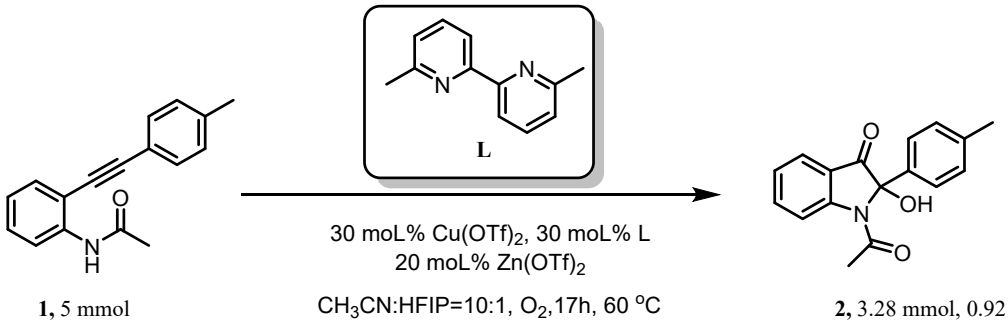
The title compound was prepared via the general procedure **C**, after purification by silica gel column chromatography (PE/EA = 2/1), **4h** was obtained as a White solid (48%). Rf = 0.40 (PE/EA = 1/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.28 (s, 1H), 7.16 (d, J = 7.7 Hz, 1H), 7.11 (s, 4H), 6.73 (dd, J = 7.5, 5.0 Hz, 2H), 6.44 (s, 1H), 2.27 (s, 3H), 1.92 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 179.0, 142.6, 137.7, 136.8, 135.9, 131. 6, 129.6, 129.1, 125.5, 124.3, 107.8, 78.0, 39.4, 21.1, 17.4.

ESI-MS: calculated for $C_{16}H_{15}NO_2$ $[M+Na]^+$: 276.0994, found: 276.0996.

5 Gram-scale experiment and further functionalization of 2a

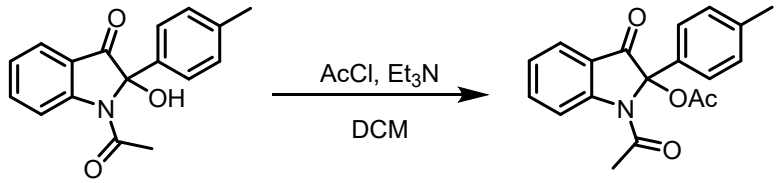


1, 5 mmol

2, 3.28 mmol, 0.92 g

To a 100 mL round bottom flask was added **1 (**5.0 mol, 1.0 eq.) and $Cu(OTf)_2$ (542 mg, 30 mol%), **L** (273.4 mg, 30 mol%) and $Zn(OTf)_2$ (363 mg, 20 mol%), MeCN (50.0 mL) and HFIP (5.0 mL) . The reaction mixture was carried out under oxygen for 17 hours. The reaction was detected by TLC. After the reaction was complete, the organic phase was extracted three times with ethyl acetate and saturated brine and dried over Na_2SO_4. The organic phase obtained was concentrated in vacuo and column chromatographed with PE/EA (4:1) to **2** (69%) of the product.

Transformation of **2a** to **5**



2a **5**

To a 25 mL round-bottom flask was added **2a** (0.2 mmol), 2.0 mL DCM, and Et_3N (1.0 mL). The reaction mixture was stirred under rt for 10 min, then 0.3 mL AcCl was added to the reaction mixture and stirred for 1 hour. The reaction was detected by TLC. After the reaction was complete, the organic phase was extracted three times with ethyl acetate and saturated brine and dried over Na_2SO_4. A white solid product (32.9 mg, 51%) was obtained by silica gel column chromatography (PE/EA = 4/1).

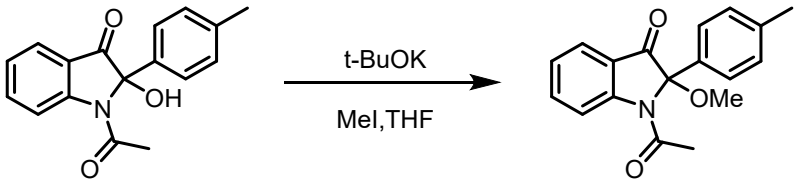
White solid (32.9 mg, 51 %). Rf = 0.46 (PE/EA = 4/1).

^{1}H NMR (500 MHz, Chloroform-d) δ 8.69 (d, J = 8.4 Hz, 1H), 7.74 (t, J = 7.7 Hz, 2H), 7.32 (d, J = 8.3 Hz, 2H), 7.28 (t, J = 7.9 Hz, 1H), 7.22 (d, J = 8.0 Hz, 2H), 2.36 (s, 3H), 2.29 (s, 3H), 2.06 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d6) δ 187.0, 165.0, 163.4, 147.3, 135.3, 132.7, 125.7, 125.4, 120.2, 120.2, 120.1, 116.4, 113.1, 86.2, 20.1, 16.4, 15.8.

ESI-MS: calculated for $C_{19}H_{17}NO_4$ $[M+Na]^+$: 346.1049, found: 346.1050.

Transformation of **2a** to **6**[3]



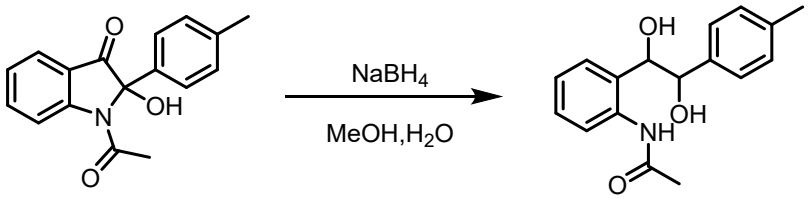
2a **6**

To a 25 mL round-bottom flask, was added **2a** (56.3 mg, 0.2 mmol) and 2.0 mL dry THF. To the reaction mixture was added 1M *t*-BuOK (0.3 mL) dropwise at room temperature. After stirring for 2 hours, MeI (5.0 eq.) dissolved in 2.0 mL dry THF was added dropwise at room temperature and the mixture was stirred at temperature overnight. The reaction mixture was diluted with H_2O and extracted with EA (3 × 30 mL). The organic phase was dried with dry Na_2SO_4, concentrated in vacuum, and silica column chromatography to obtain 6 as a white solid (25.9 mg, 0.088 mmol, 46 %). Rf = 0.37 (PE/EA = 4/1).

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.66 (d, J = 8.4 Hz, 1H), 7.89 (ddd, J = 8.6, 7.4, 1.4 Hz, 1H), 7.75 – 7.72 (m, 1H), 7.37 – 7.33 (m, 1H), 7.22 (s, 4H), 3.26 (s, 3H), 2.28 (s, 3H), 1.90 (s, 3H).
^{13}C NMR (125 MHz, DMSO-d_6) δ 195.4, 170.3, 153.9, 139.4, 133.0, 130.3, 125.6, 125.3, 125.1, 120.8, 118.0, 94.9, 52.0, 24.3, 21.1.

HRMS (ESI-TOF): calculated for $C_{18}H_{17}NO_3$ [M+Na]$^+$: 318.1100, found: 318.1102.

Transformation of **2a** to **7**[4]



2a **7**

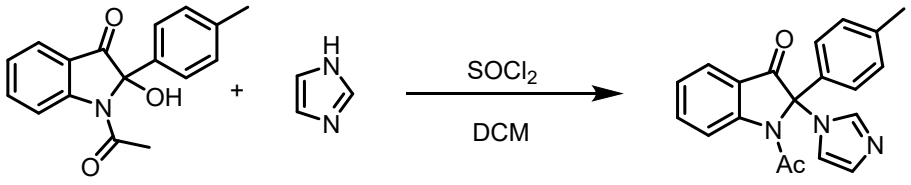
To a 25 mL round bottom flask was added **2a** (0.2 mmol), 3.0 mL methanol, and 0.2 mL H_2O. Under the condition of the ice bath, 5.0 equivalent of $NaBH_4$ was added, and the reaction was stirred for 30 minutes. The reaction mixture was allowed to warm to room temperature. After stirring for 1 h, the mixture was diluted with $CHCl_3$, wash with H_2O. The organic solvent is dried with anhydrous sodium sulfate, Column chromatography with PE/EA (1/1) to obtain **7** as a white solid (42.7 mg, 0.150 mmol, 75 %). Rf = 0.29 (PE/EA = 1/2).

^{1}H NMR (500 MHz, DMSO-d_6) δ 9.46 (s, 1H), 7.69 (d, J = 7.8 Hz, 1H), 7.18 (t, J = 7.3 Hz, 2H), 7.14 (d, J = 7.9 Hz, 2H), 7.07 (d, J = 7.9 Hz, 2H), 7.04 – 7.00 (m, 1H), 5.69 (d, J = 4.7 Hz, 1H), 5.60 (d, J = 4.4 Hz, 1H), 4.78 (dd, J = 6.3, 4.5 Hz, 1H), 4.57 (d, J = 5.2 Hz, 1H), 2.27 (s, 3H), 2.02 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6) δ 168.2, 140.4, 136.9, 136.2, 134.6, 128.6, 128.4, 127.7, 127.3, 124.1, 123.5, 77.1, 75.1, 24.5, 21.2.

HRMS (ESI-TOF): calculated for $C_{17}H_{19}NO_3$ [M+Na]$^+$: 308.1257, found: 308.1258.

Synthetic Transformation of **2a** to **8**[5]



2a **8**

To a solution of imidazole (109 mg, 5.6 mmol) in DCM (2.0 mL) was added $SOCl_2$ (40 μL) at 0 °C. After the reaction mixture was stirred for 5 min at 0 °C, **2a** (56.3 mg, 0.2 mmol) was added with stirring. After 1 h, the reaction mixture was diluted with H_2O and extracted with DCM（3 × 10 mL). The combined organic layers were washed with brine (10 mL) and dried over Na_2SO_4, and then concentrated under reduced pressure. A white solid product (30.1 mg, 0.091 mmol, 45 %) was obtained by silica gel column chromatography (PE/EA = 32/1).

White solid (30.1 mg, 0.091 mmol, 45%). Rf = 0.57 (PE/EA = 32/1).

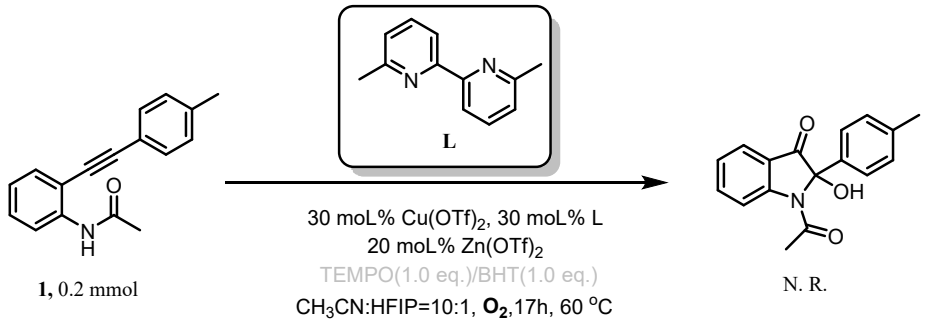
^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.61 (s, 1H), 7.80 – 7.74 (m, 2H), 7.72 (s, 1H), 7.46 (d, *J* = 8.2 Hz, 2H), 7.29 (t, *J* = 7.4 Hz, 1H), 7.24 (s, 2H), 7.13 (s, 1H), 6.94 (s, 1H), 2.36 (s, 3H), 1.85 (s, 3H).

^{13}C NMR (125 MHz, Chloroform-*d*) δ 192.2, 169.8, 152.5, 140.9, 138.8, 137.6, 130.2, 130.1, 127.3, 125.9, 125.6, 119.4, 118.8, 118.1, 81.4, 25.1, 21.2.

ESI-MS: calculated for $C_{20}H_{17}N_3O_2$ $[M+H]^+$: 332.1393, found: 332.1391.

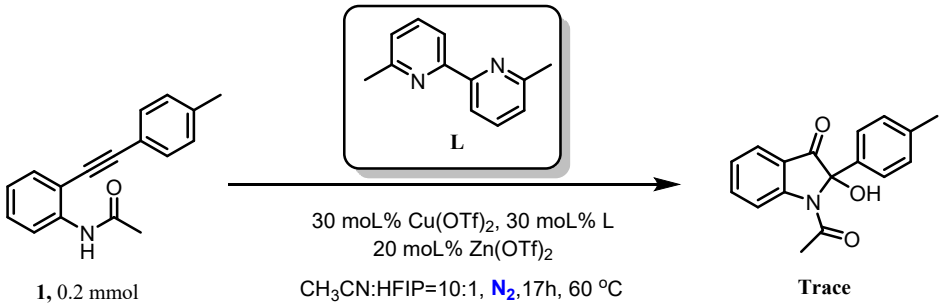
6 Mechanistic study

6.1 Radical Quenching Experiment



To a 15 mL-schlenk tube charged with a stirring bar, was added **1 (**0.2 mmol, 1.0 eq.), $Cu(OTf)_2$ (21.8 mg, 30 mol%), **L** (10.9 mg, 30 mol%), $Zn(OTf)_2$ (14.6 mg, 20 mol%), and TEMPO (31.3 mg, 1.0 eq.) or BHT (44.1 mg, 1.0 eq.) in 2.2 mL of MeCN/HFIP (10/1). The reaction was carried out under oxygen for 17 hours. Product **2** was not observed by TLC.

6.2 Reaction commenced under N_2 atmosphere

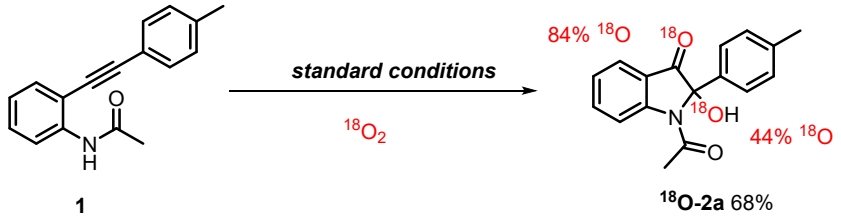


To a 15 mL-schlenk tube charged with a stirring bar was added **1 (**0.2 mmol, 1.0 eq.), $Cu(OTf)_2$ (21.8 mg, 30 mol%), **L** (10.9 mg, 30 mol%) and $Zn(OTf)_2$ (14.6 mg, 20 mol% in 2.2 mL of MeCN/HFIP (10/1). The reaction was carried out under nitrogen for 17 hours. Product **2** was not observed by TLC.

6.3 ^{18}O labeling experiments

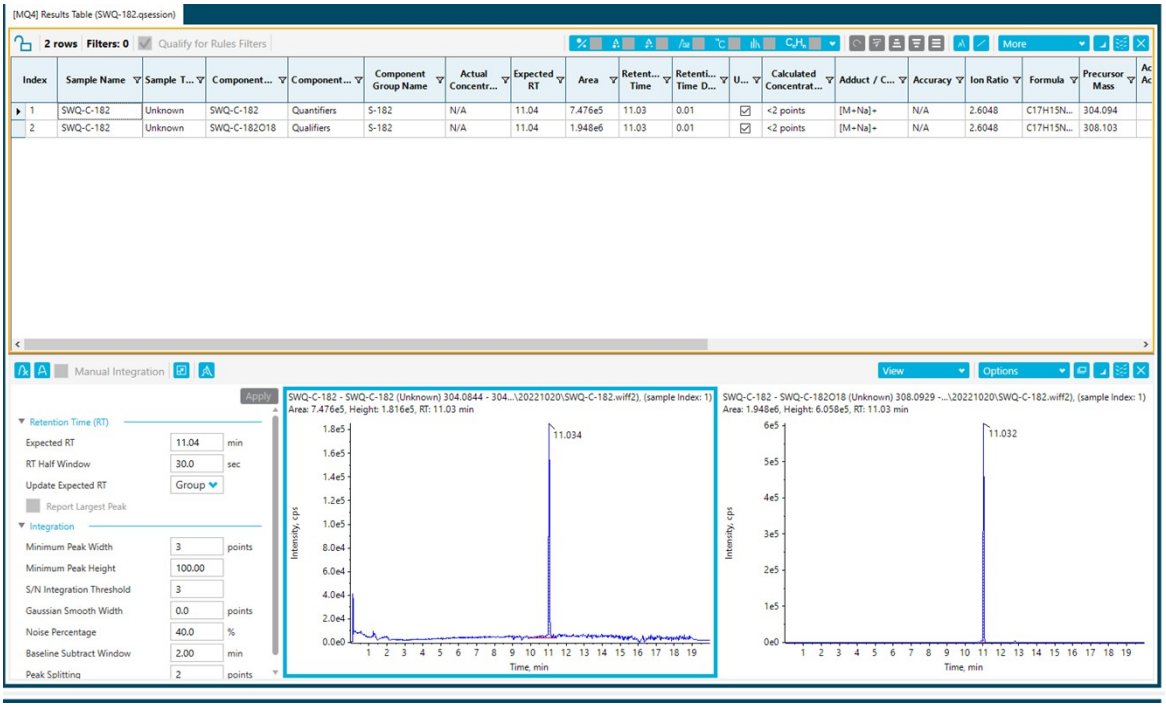
Q-TOF data of **2a** for the reaction in the presence of $^{18}O_2$ and $H_2{}^{18}O$.

(i) O_2-^{18}O labeling experiments

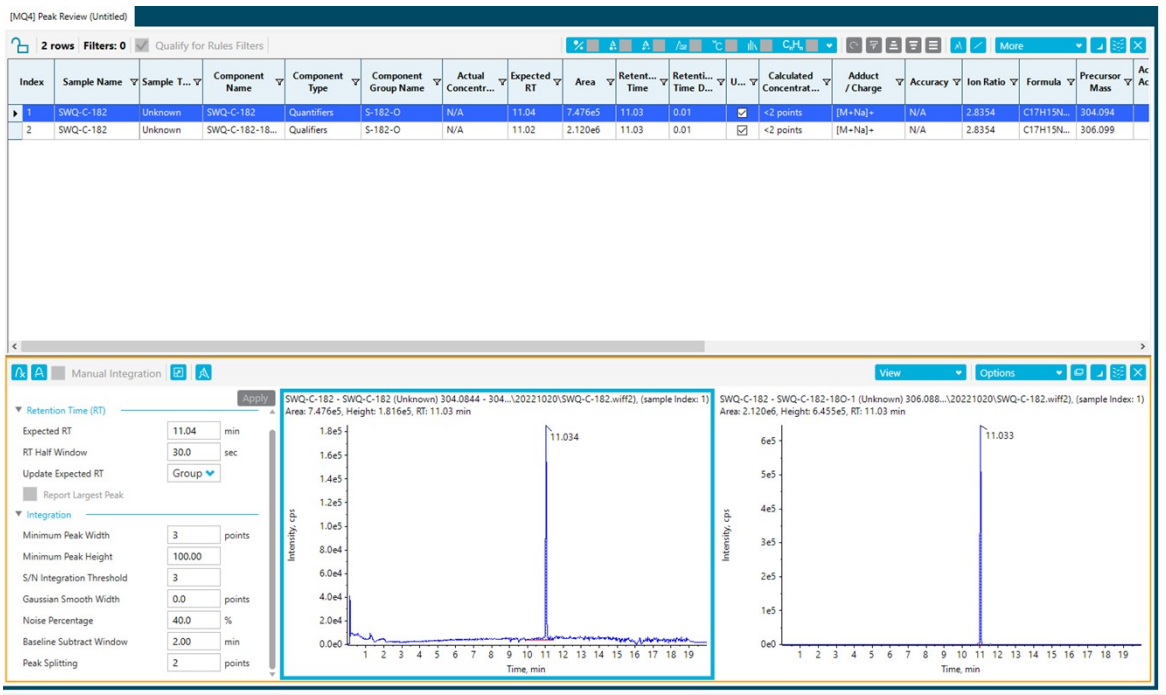


Reaction conditions: **1** (0.2 mmol), $Cu(OTf)_2$ (30 mol%), $Zn(OTf)_2$ (20 mol%), 6,6'- dimethyl -2,2'-dipyridyl (30 mol%) in 10:1 MeCN/HFIP (2.2 mL), 60 °C under $^{18}O_2$ atmosphere (1 atm) for 17 h. Isolated yield. The percentage of ^{18}O was determined by Q-TOF.

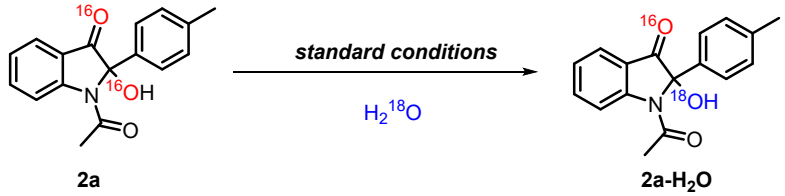
The Q-TOF spectra of ^{18}O-**2a** for the reaction under $^{18}O_2$ atmosphere



The Q-TOF spectra of ^{18}O-**2a** for the reaction under $^{18}O_2$ atmosphere



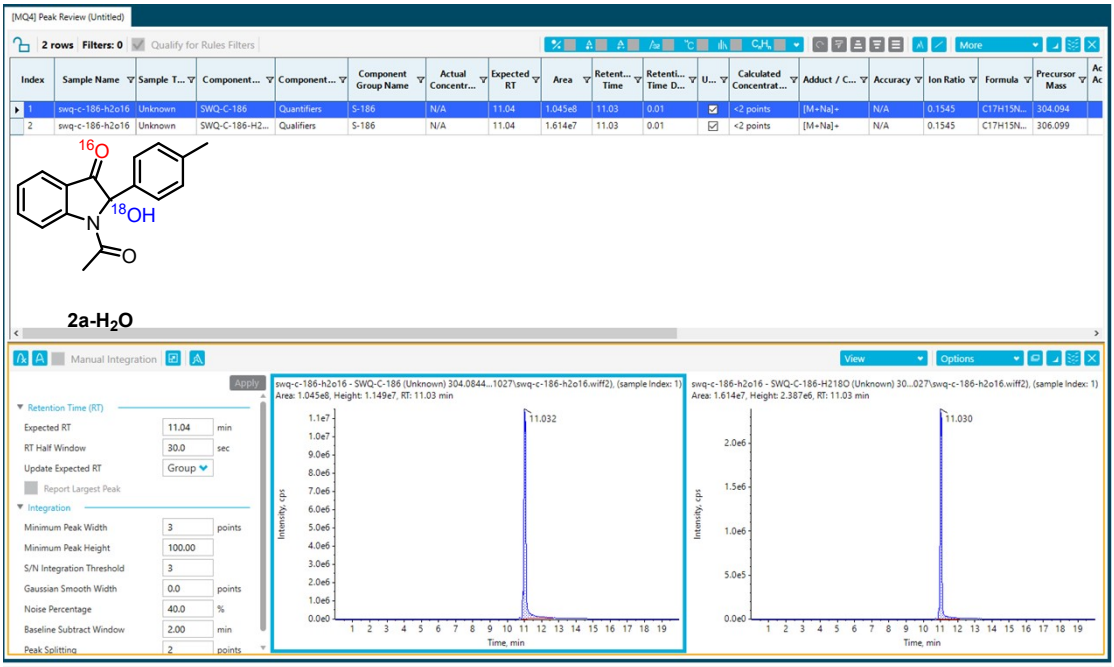
(ii) $H_2{}^{18}O$-^{18}O labeling experiments



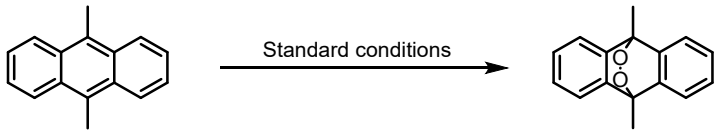
2a **2a-H_2O**

Reaction conditions: **1** (0.2 mmol), $Cu(OTf)_2$ (30 mol%), $Zn(OTf)_2$ (20 mol%), 6,6'- dimethyl -2,2'-dipyridyl (30 mol%), and $H_2{}^{18}O$ (5.0 equiv) in 10:1 MeCN/HFIP (2.2 mL) with stirring at 60 °C for 17 - 24 h. Isolated yield. The percentage of ^{18}O was determined by Q-TOF.

The Q-TOF spectra of **2a-H_2O** for the reaction in $H_2{}^{18}O$ (5.0 equiv) (13%)



6.4 Trapping experiment of $^1O2$

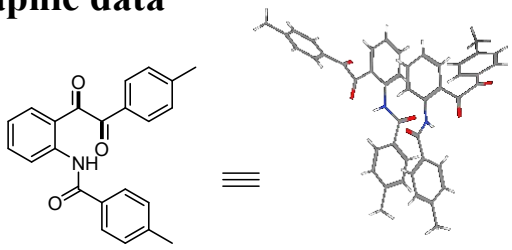


10, 0.2 mmol

11, no product was detected

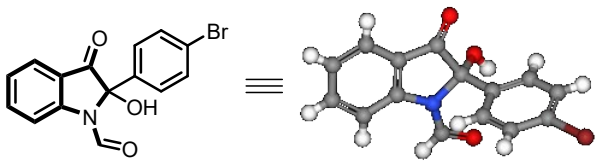
To a 15 mL-schlenk tube charged with a stirring bar was added **10 (**0.2 mmol, 1.0 eq.), $Cu(OTf)_2$ (21.8 mg, 30 mol%), **L** (10.9 mg, 30 mol%) and $Zn(OTf)_2$ (14.6 mg, 20 mol% in 2.2 mL of MeCN/HFIP (10/1). The reaction was carried out under nitrogen for 17 hours. Product **11** was not observed by TLC and LC-MS.

7 X-ray crystallographic data



4a **X-Ray of 4a**

CCDC number	**2237535**
Identification code	**4a**
Empirical formula	$C_{23}H_{19}NO_3$
Formula weight	357.39
Temperature/K	296.89(18)
Crystal system	triclinic
Space group	P-1
a/Å	7.90540(10)
b/Å	13.1683(3)
c/Å	19.1538(4)
α/°	90.215(2)
β/°	96.665(2)
γ/°	106.787(2)
Volume/Å^3	1894.59(7)
Z	4
ρ_{calc}g/cm^3	1.253
μ/mm^{-1}	0.668
F(000)	752.0
Crystal size/mm^3	0.23 × 0.2 × 0.15
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	7.016 to 155.276
Index ranges	-9 ≤ h ≤ 9, -16 ≤ k ≤ 16, -24 ≤ l ≤ 18
Reflections collected	24273
Independent reflections	7566 [R_{int} = 0.0247, R_{sigma} = 0.0256]
Data/restraints/parameters	7566/0/491
Goodness-of-fit on F^2	1.040
Final R indexes [I>=2σ (I)]	R_1 = 0.0555, wR_2 = 0.1459
Final R indexes [all data]	R_1 = 0.0668, wR_2 = 0.1540
Largest diff. peak/hole / e Å^{-3}	0.23/-0.17



2v **X-Ray of 2v**

CCDC number	2237688
Empirical formula	$C_{17}H_{14}BrNO_4$
Formula weight	376.15
Temperature [K]	298.19(10)
Crystal system	monoclinic
Space group (number)	$C2/c$ (15)
a [Å]	24.4178(4)
b [Å]	5.70910(10)
c [Å]	26.1253(4)
α [°]	90
β [°]	112.314(2)
γ [°]	90
Volume [Å^3]	3369.24(11)
Z	8
ρ_{calc} [gcm^{-3}]	1.483
μ [mm^{-1}]	3.381
F(000)	1328
Crystal size [mm^3]	0.25×0.24×0.2
Crystal colour	colourless
Crystal shape	block
Radiation	Cu K_α (λ=1.54184 Å)
2θ range [°]	7.32 to 152.54 (0.79 Å)
Index ranges	$-28 \le h \le 30$, $-4 \le k \le 7$, $-32 \le l \le 31$
Reflections collected	10924
Independent reflections	3350, R_{int} = 0.0213, R_{sigma} = 0.0202
Completeness to θ = 67.684°	99.8 %
Data / Restraints / Parameters	3350/0/182
Goodness-of-fit on F^2	1.053
Final *R* indexes [$I \ge 2\sigma(I)$]	R_1 = 0.0401, wR_2 = 0.1212
Final *R* indexes [all data]	R_1 = 0.0419, wR_2 = 0.1230
Largest peak/hole [eÅ^{-3}]	1.02/-0.76
Empirical formula	3350/0/182
Formula weight	1.053

References:

[1] Amol Milind Garkhedkar, Babasaheb Sopan Gore, Wan-Ping Hu, and Jeh-Jeng Wang.,Lewis Acid Catalyzed Atom-Economic Synthesis of C2-Substituted Indoles from o-Amido Alkynols. *Org. Lett.* **2020**, 22(9); 3531–3536.

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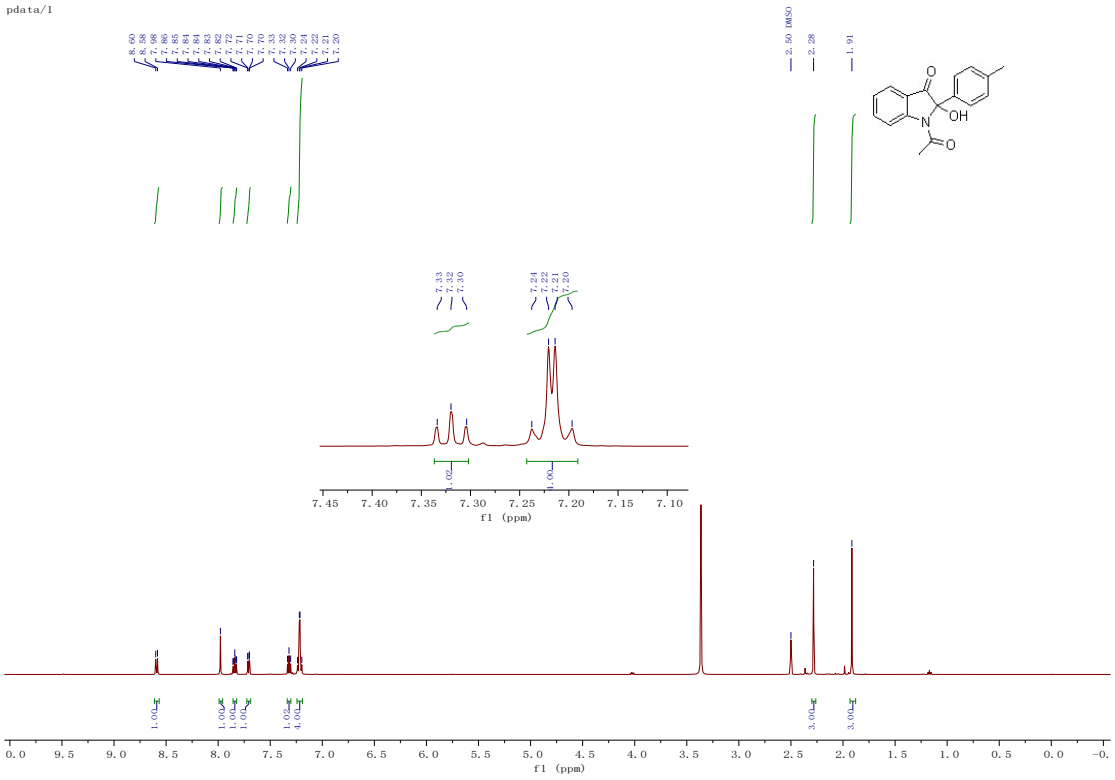
[3] Kanako Nozawa-Kumada, Yuta Matsuzawa, Kanako Ono, Masanori Shigeno, Yoshinori Kondo, Copper-catalyzed aerobic double functionalization of benzylic C(sp^3)–H bonds for the synthesis of 3-hydroxyisoindolinones. *Chem. Commun*. **2021**, 57, 8604.

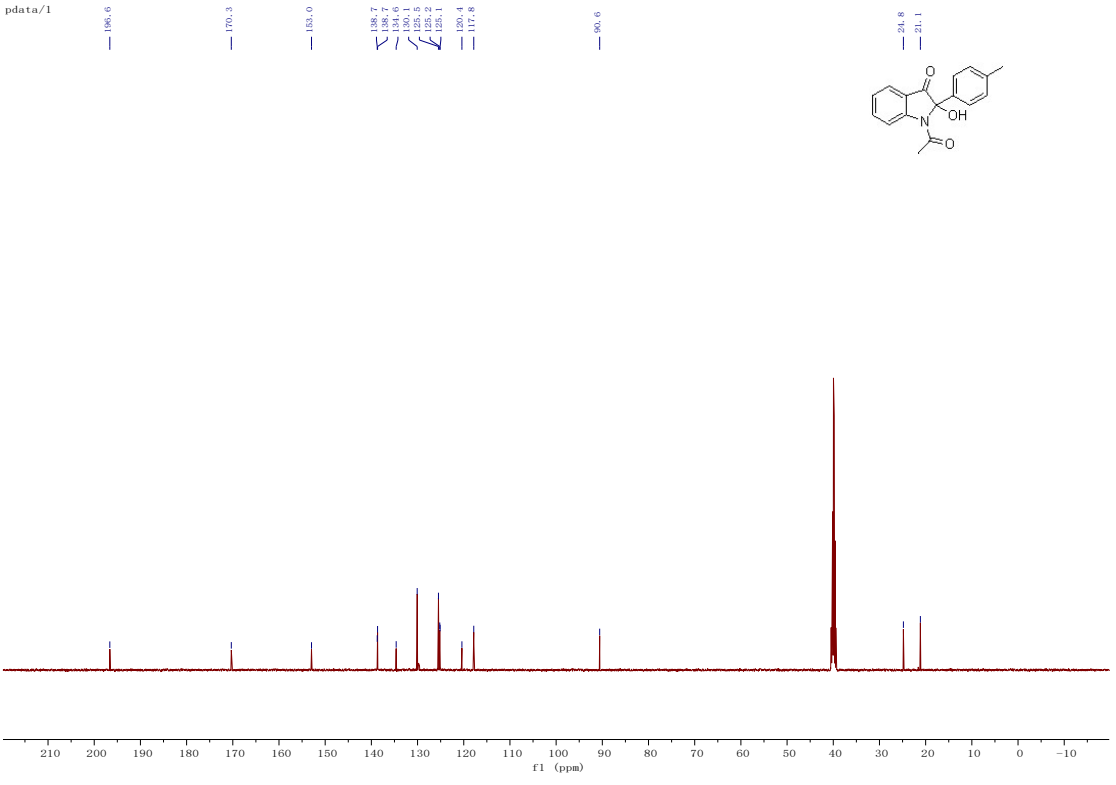
[4] Chun-Sheng Chien, Atsushi Hasegawa, Tomomi Kawasaki, Masanori Sakamoto, A Novel Synthesis of 1-Acylindoxyls. *Chem. Pharm. Bull.* **1986**, 34(4); 1493 - 1496.

[5] Anna E Cholewczynski, Peyton C Williams, Joshua G Pierce, Stereocontrolled Synthesis of (±)-Melokhanine E via an Intramolecular Formal [3 + 2] Cycloaddition. *Org. Lett*. **2020**, 22, 714–717.

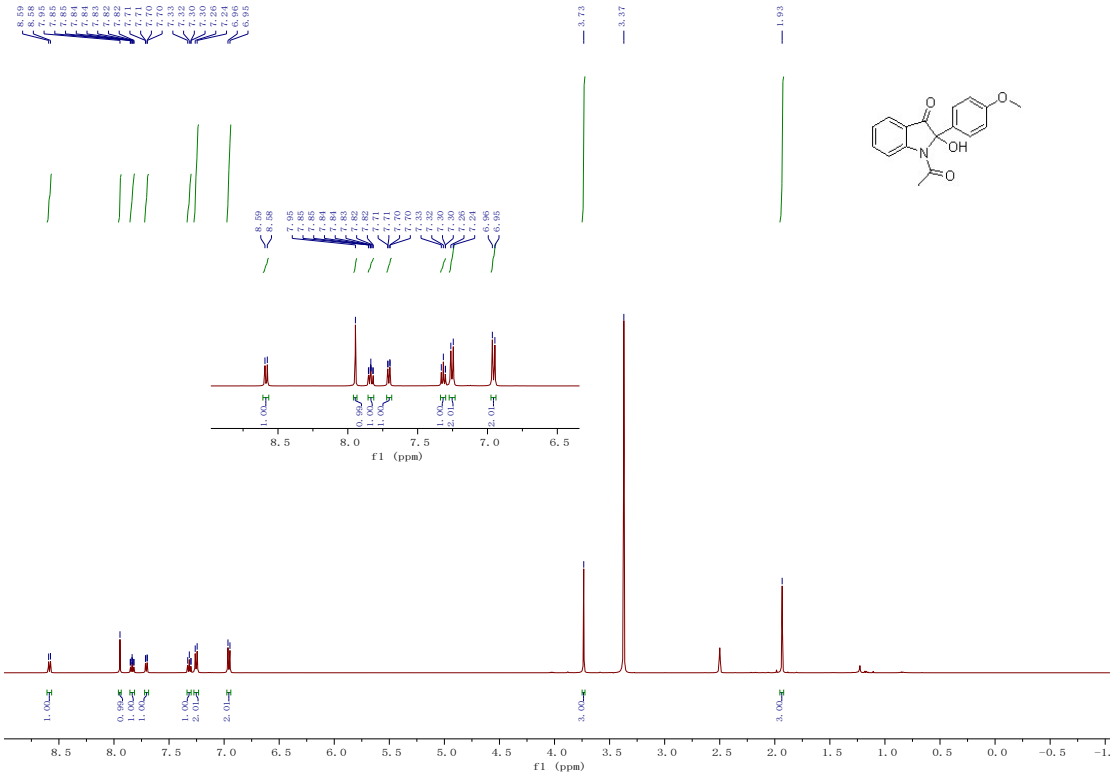
8 NMR Spectra. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR

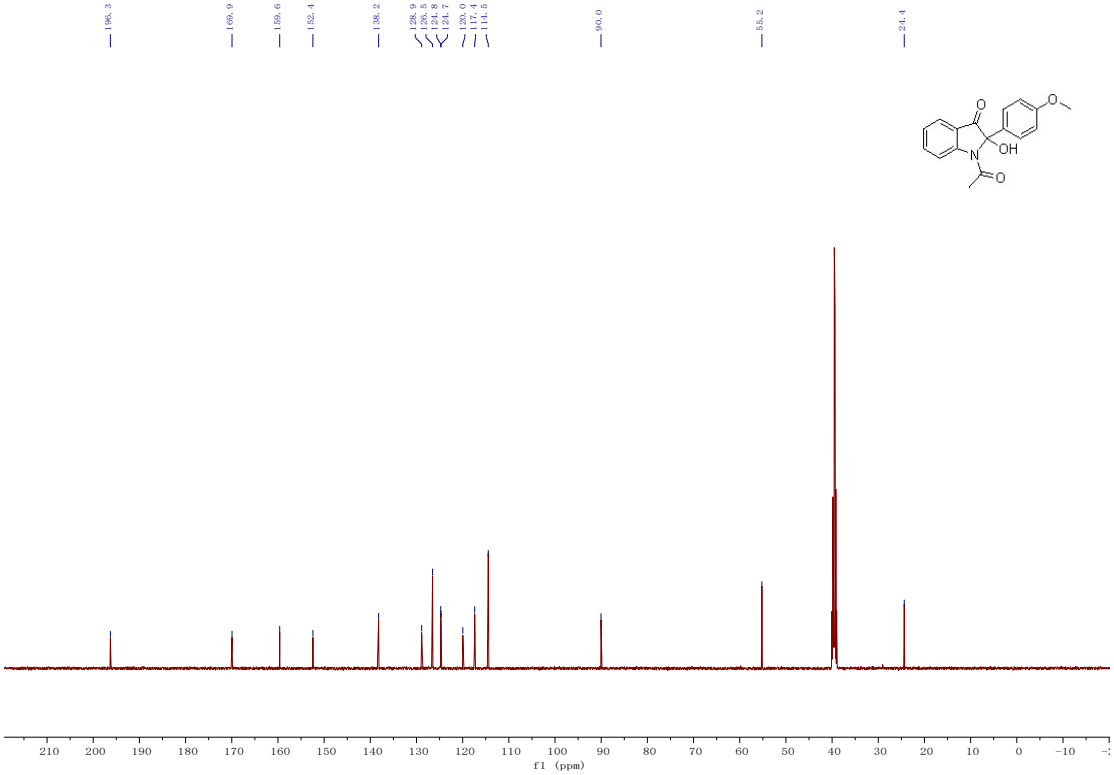
1-acetyl-2-hydroxy-2-(p-tolyl)indolin-3-one (2a)



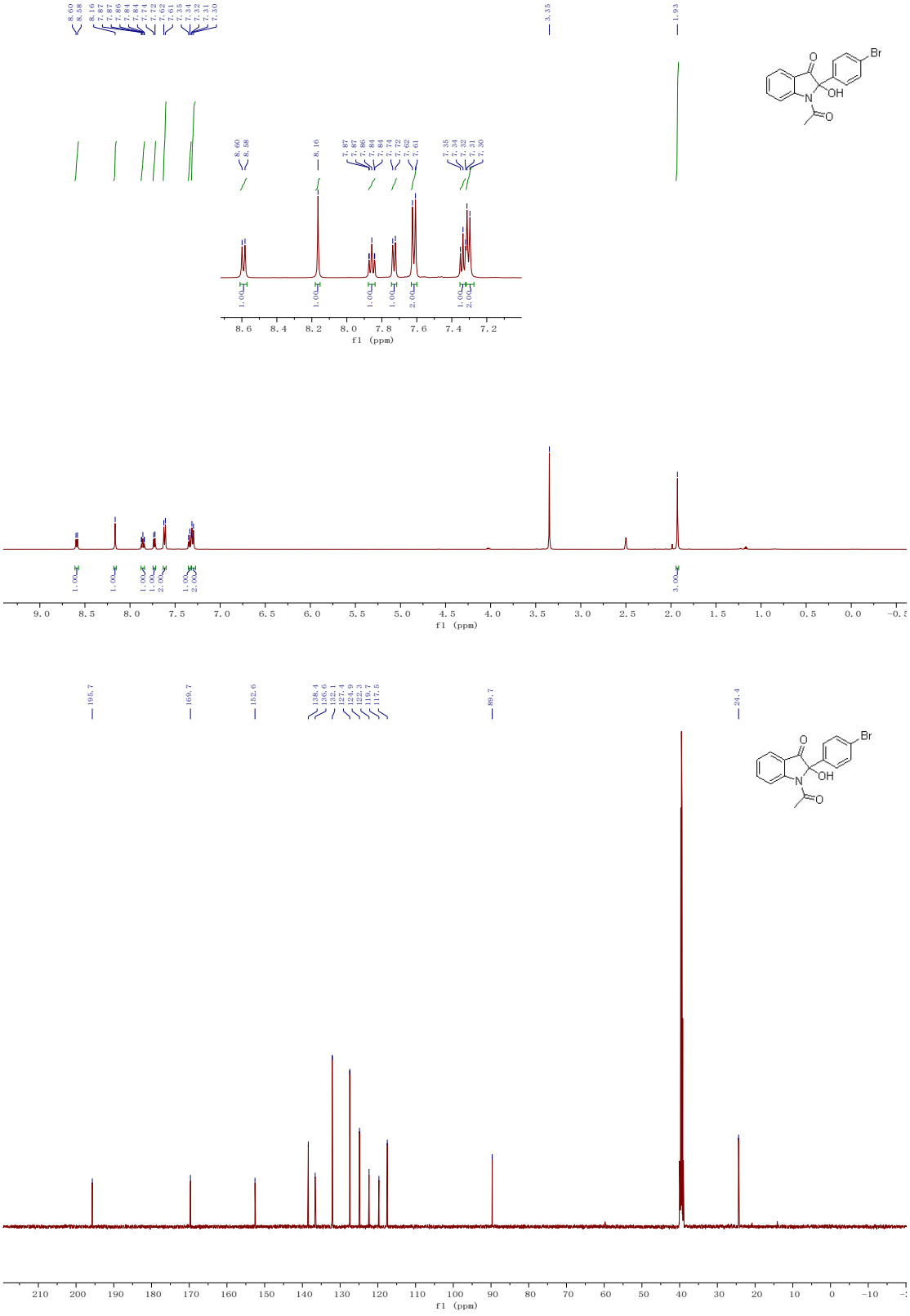


1-acetyl-2-hydroxy-2-(4-methoxyphenyl)indolin-3-one (2b)

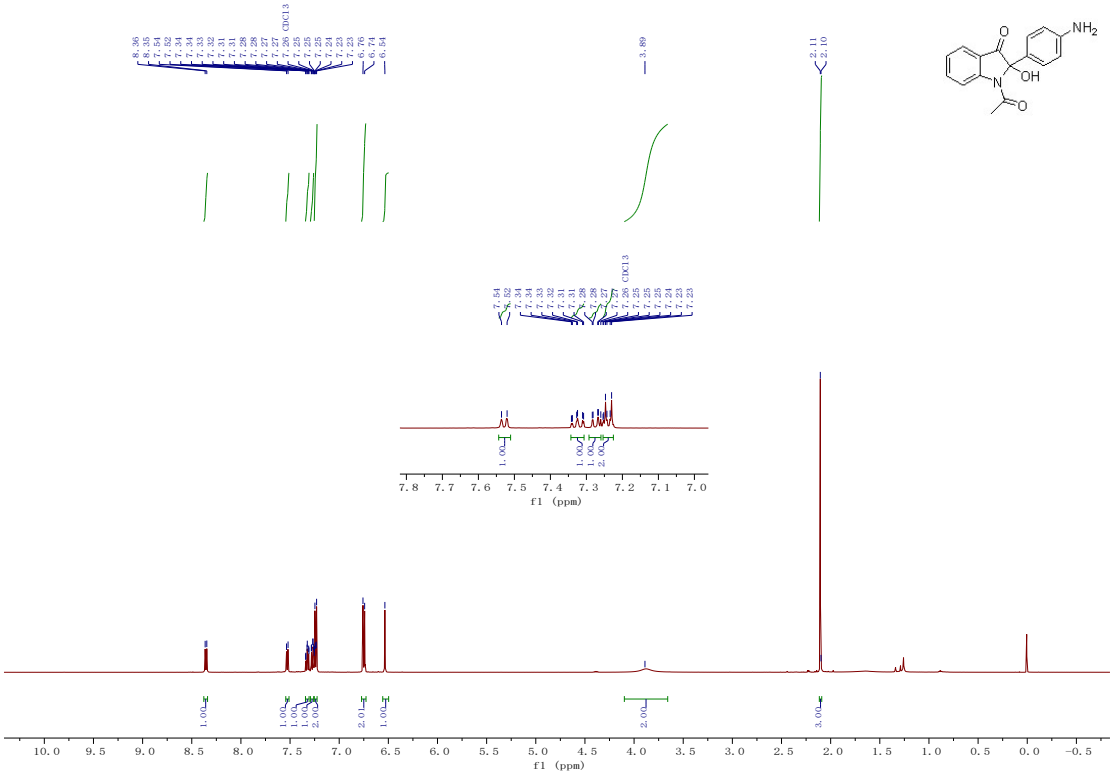


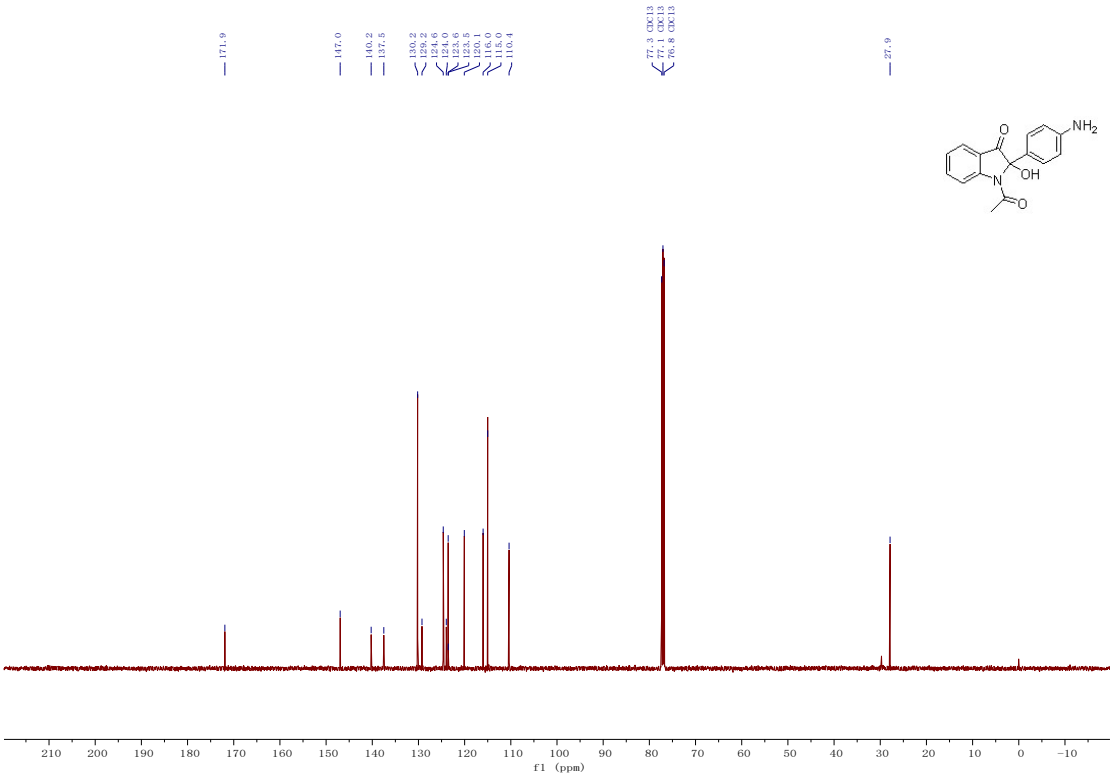


1-acetyl-2-(4-bromophenyl)-2-hydroxyindolin-3-one (2c)

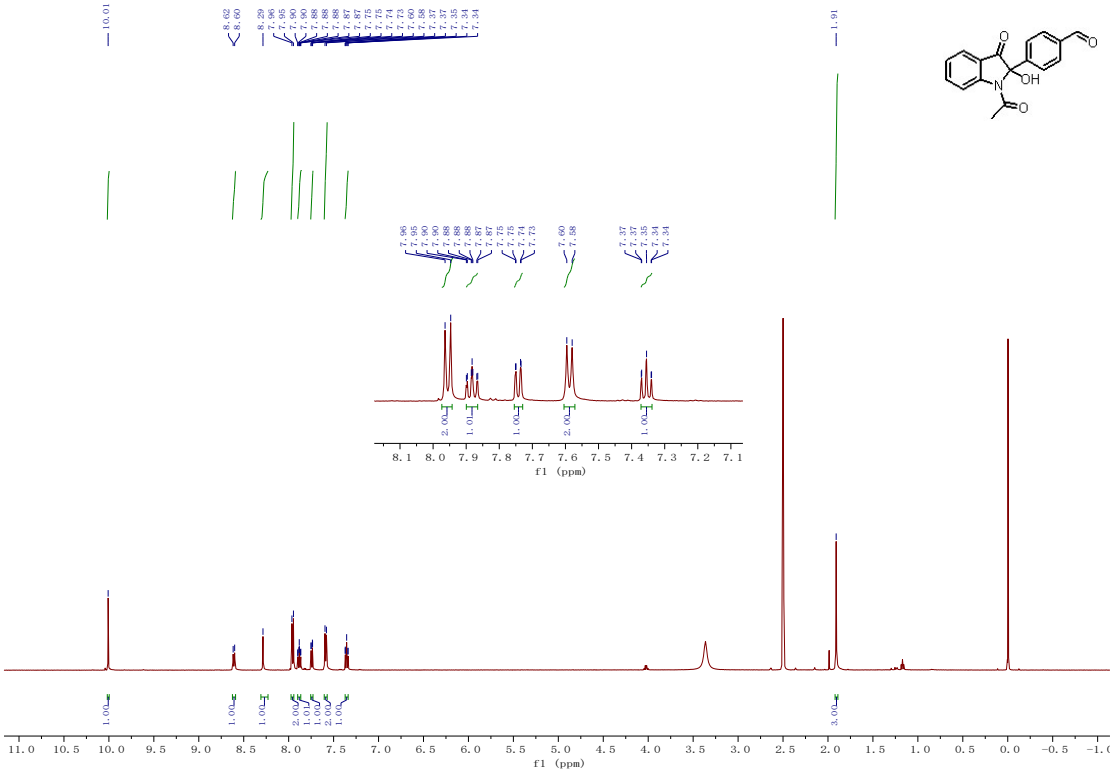


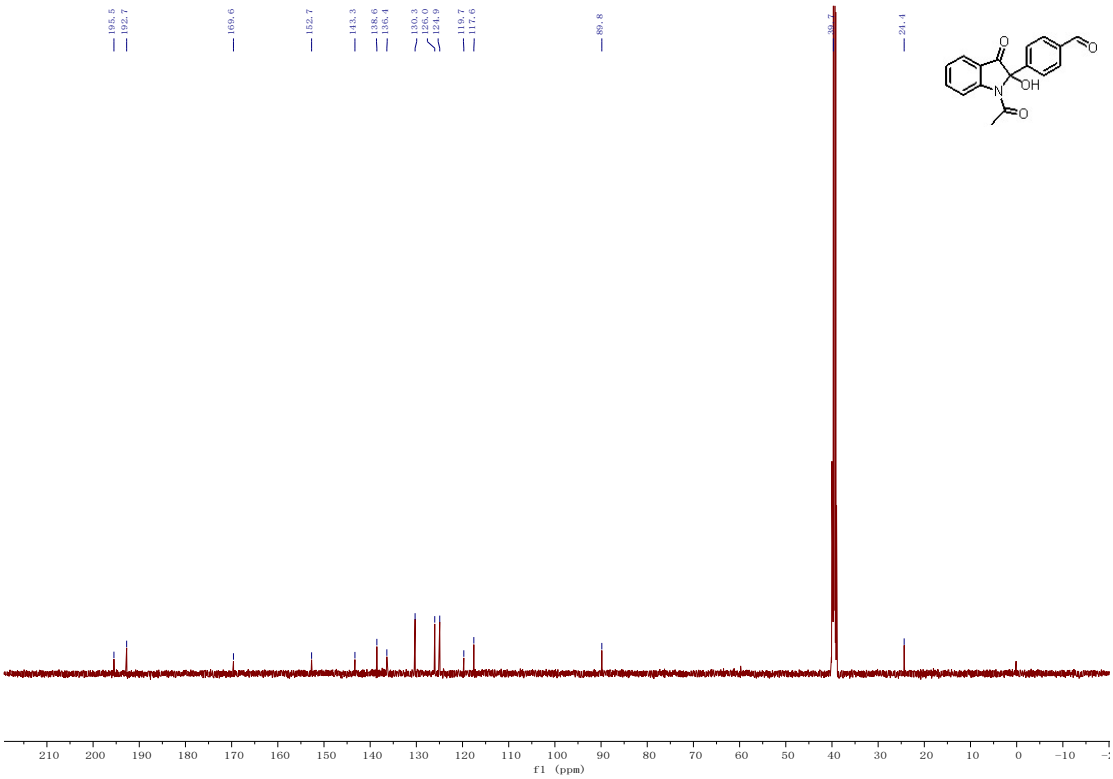
1-acetyl-2-(2-aminophenyl)-2-hydroxyindolin-3-one (2d)



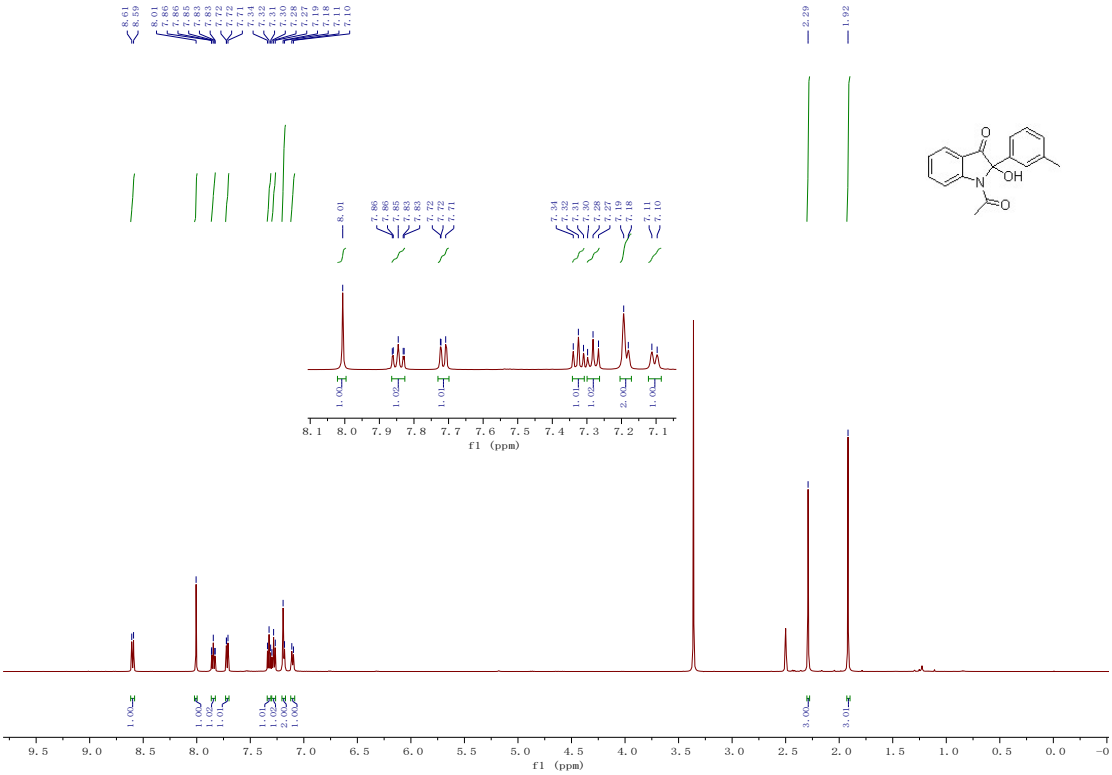


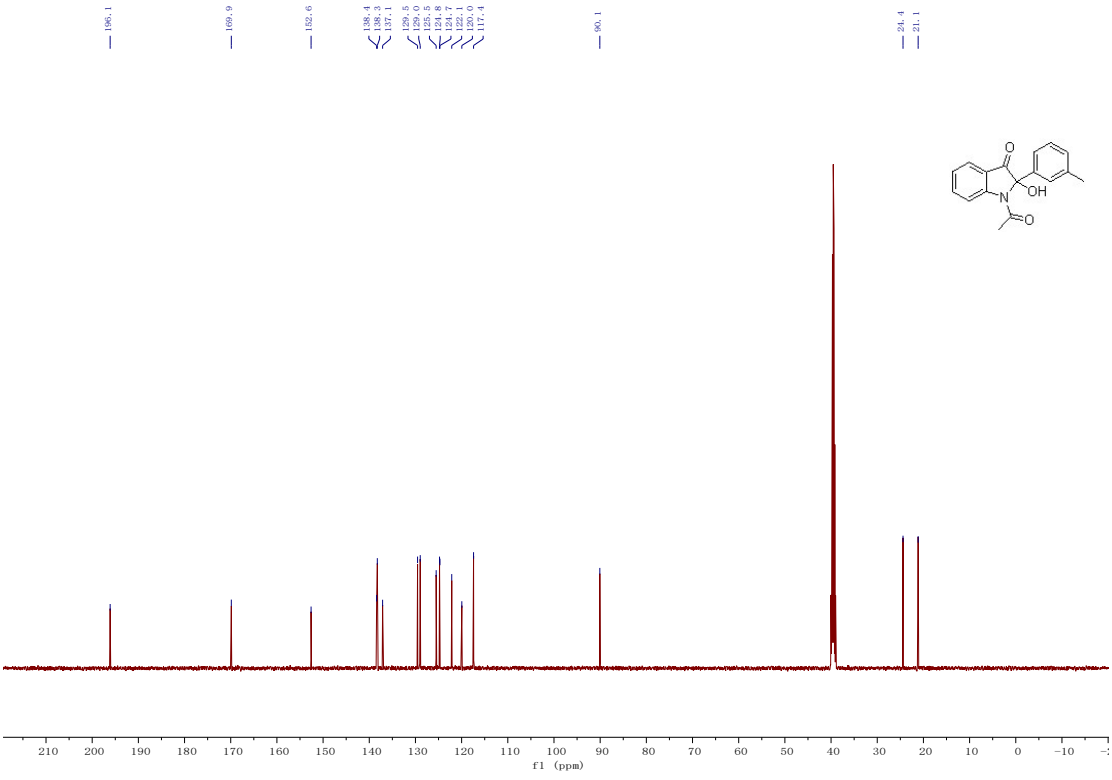
4-(1-acetyl-2-hydroxy-3-oxoindolin-2-yl)benzaldehyde (2e)



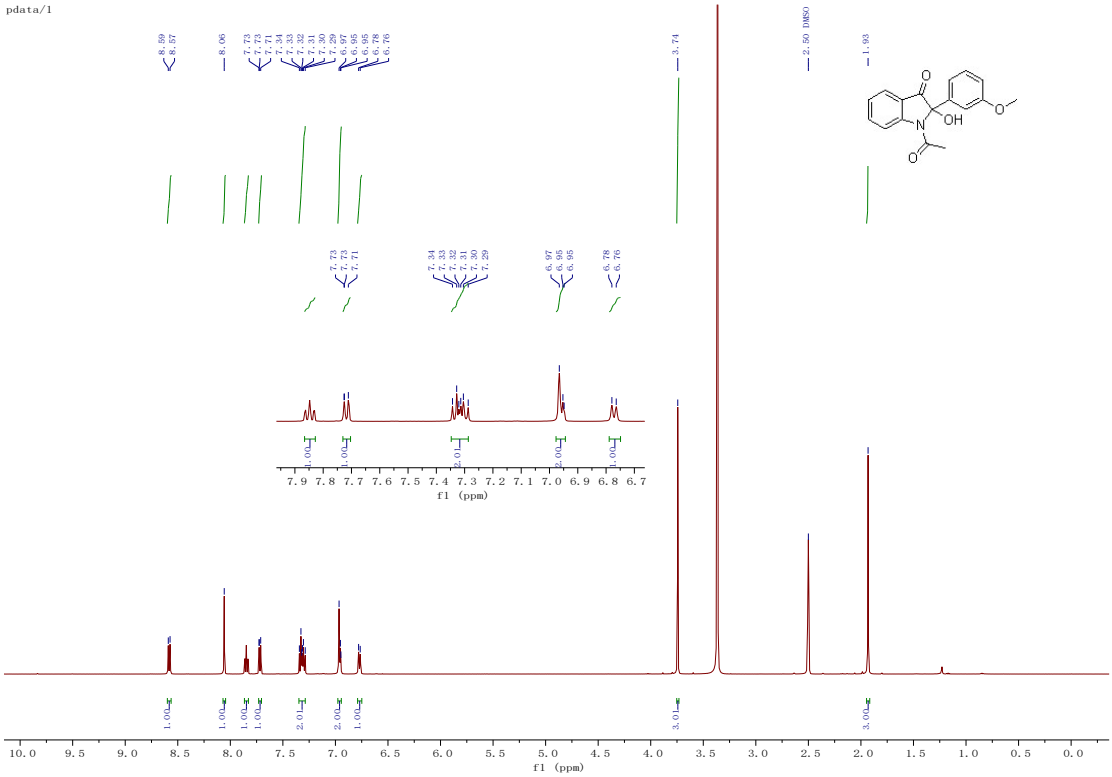


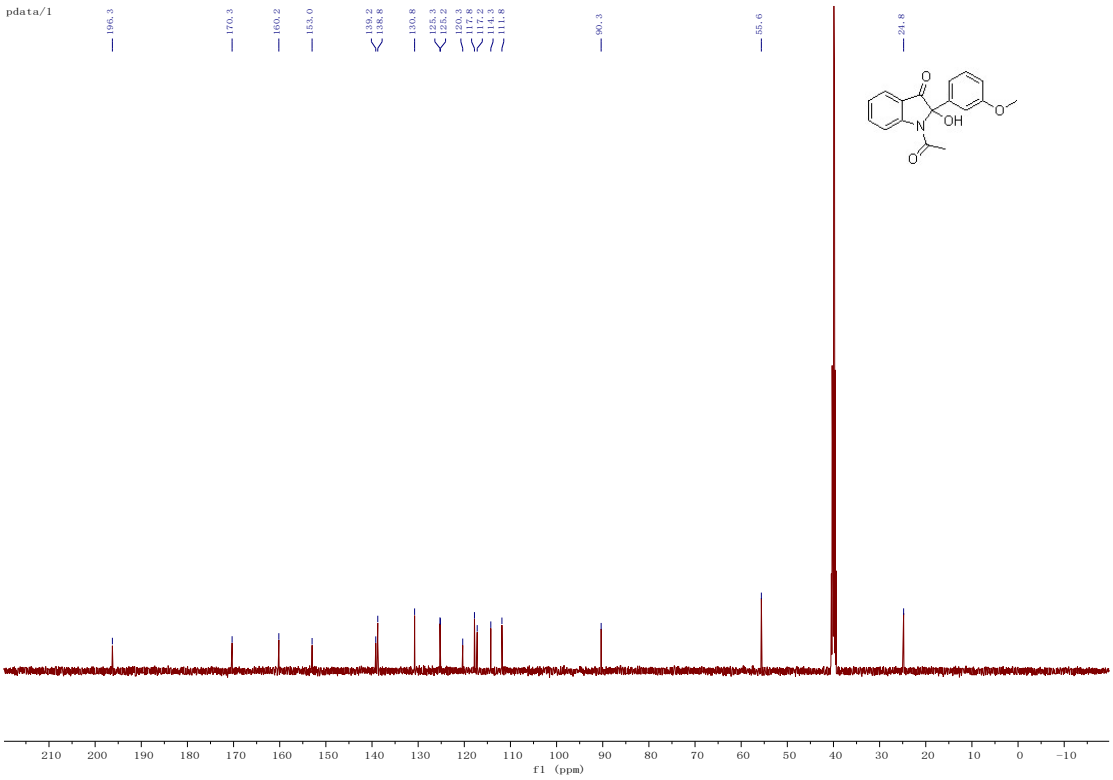
1-acetyl-2-hydroxy-2-(m-tolyl)indolin-3-one (2f)



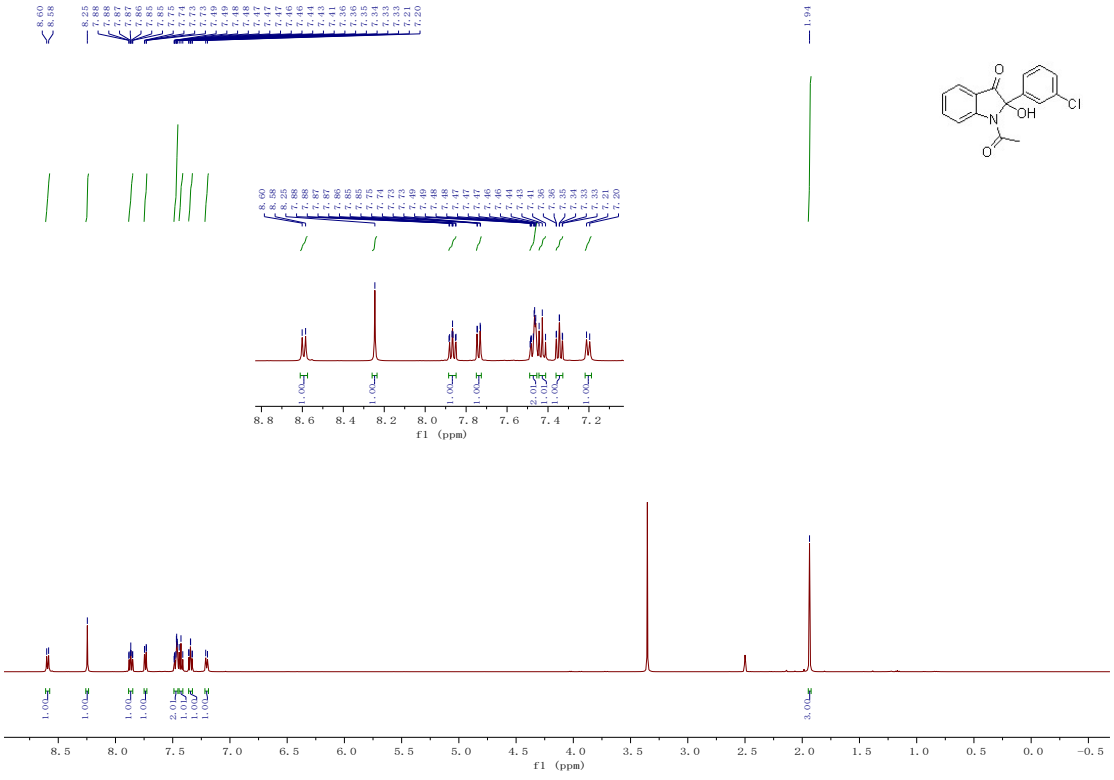


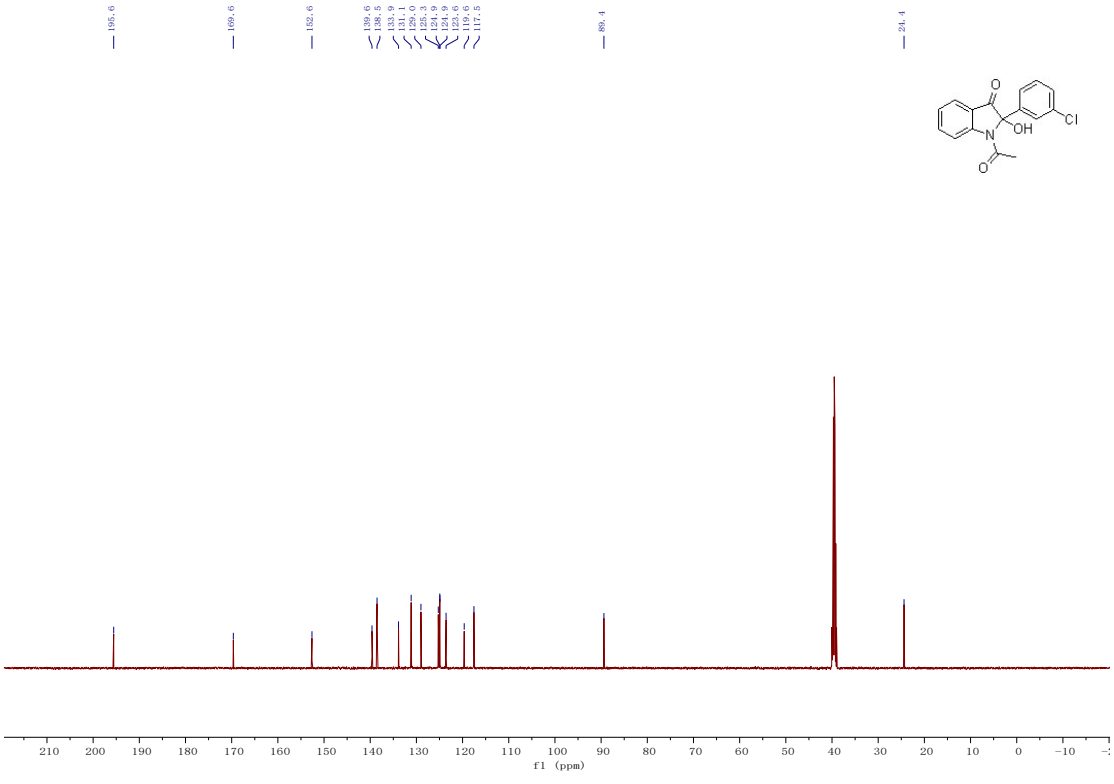
1-acetyl-2-hydroxy-2-(3-methoxyphenyl)indolin-3-one (2g)



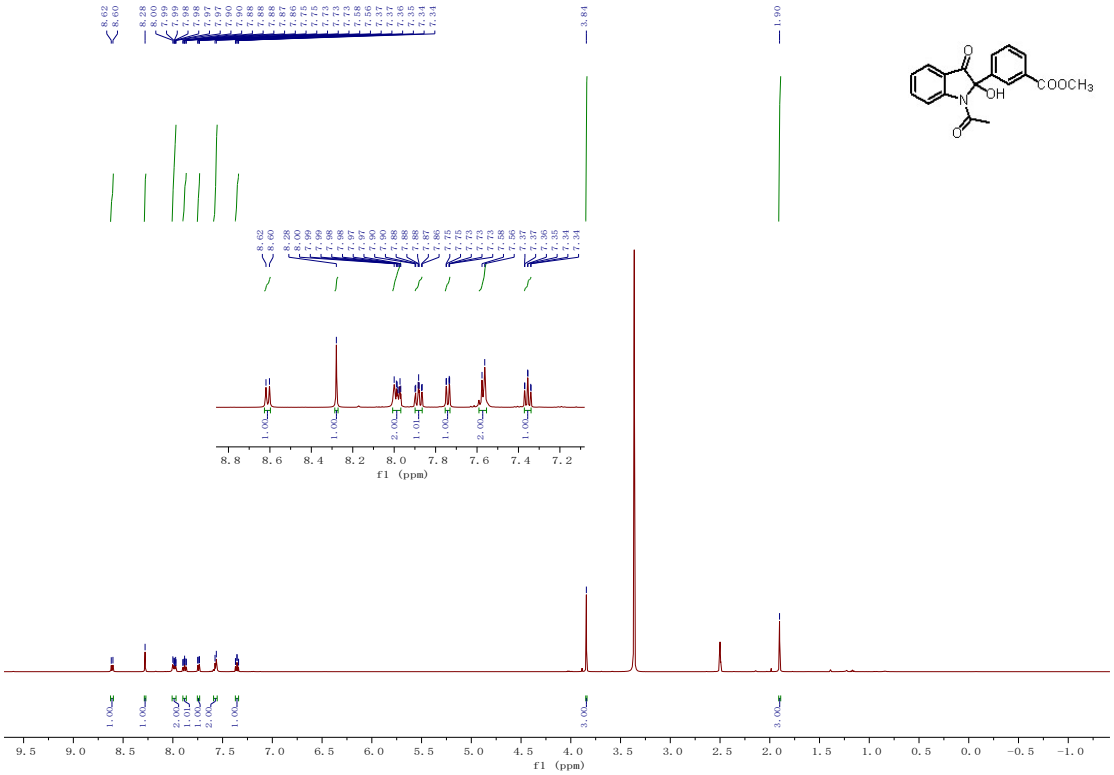


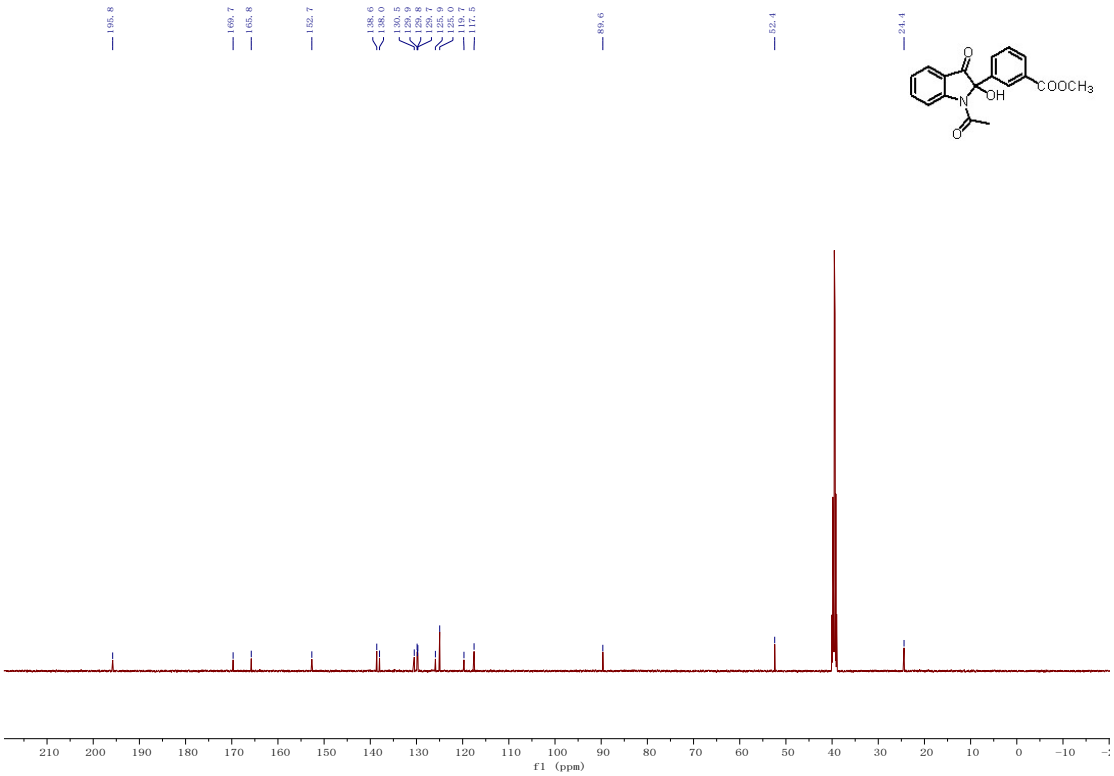
1-acetyl-2-(3-chlorophenyl)-2-hydroxyindolin-3-one (2h)



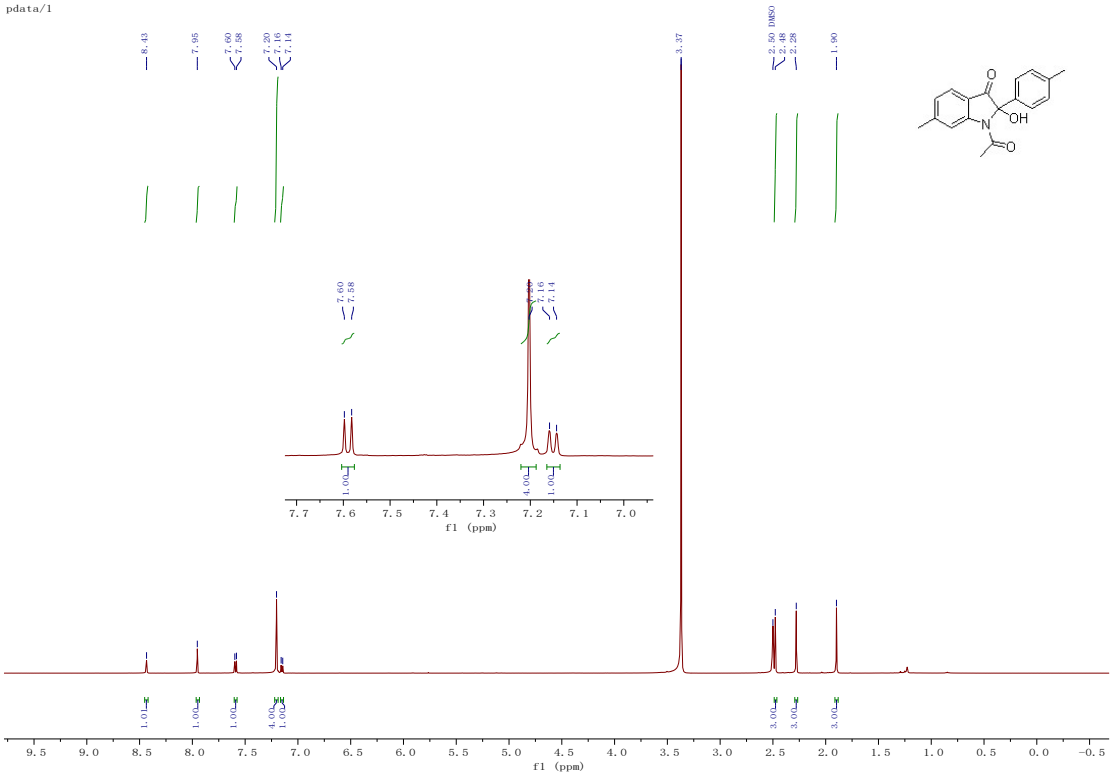


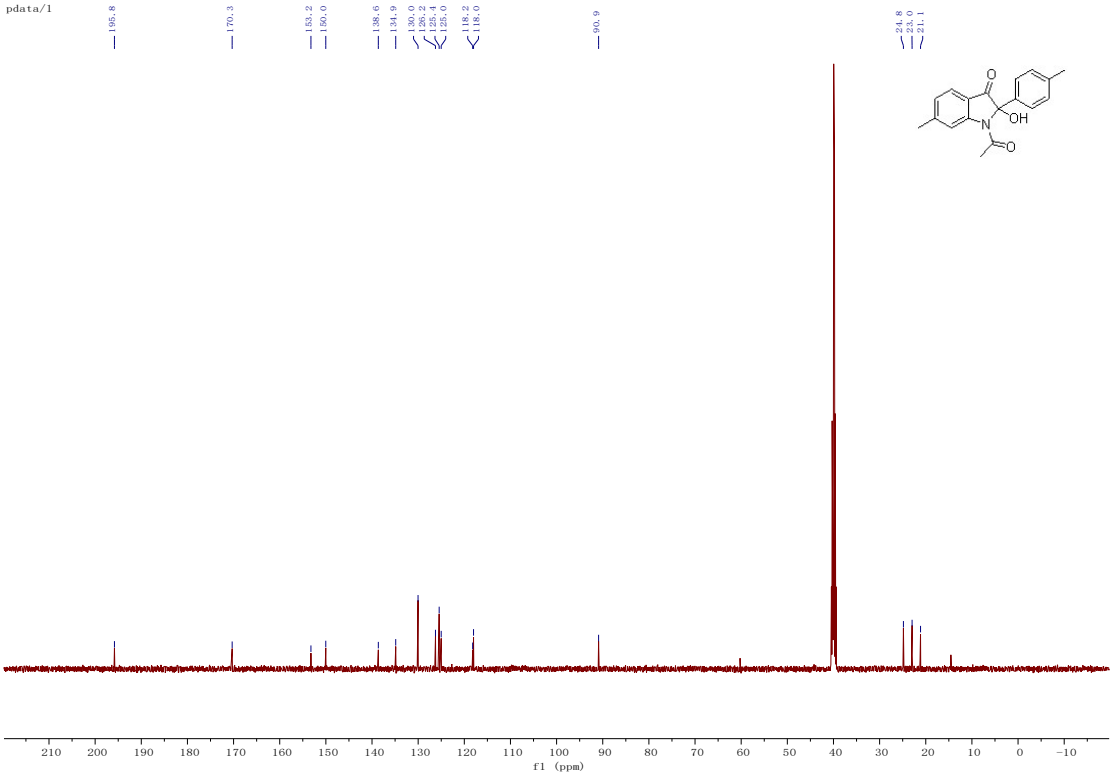
Methyl 3-(1-acetyl-2-hydroxy-3-oxoindolin-2-yl)benzoate (2i)



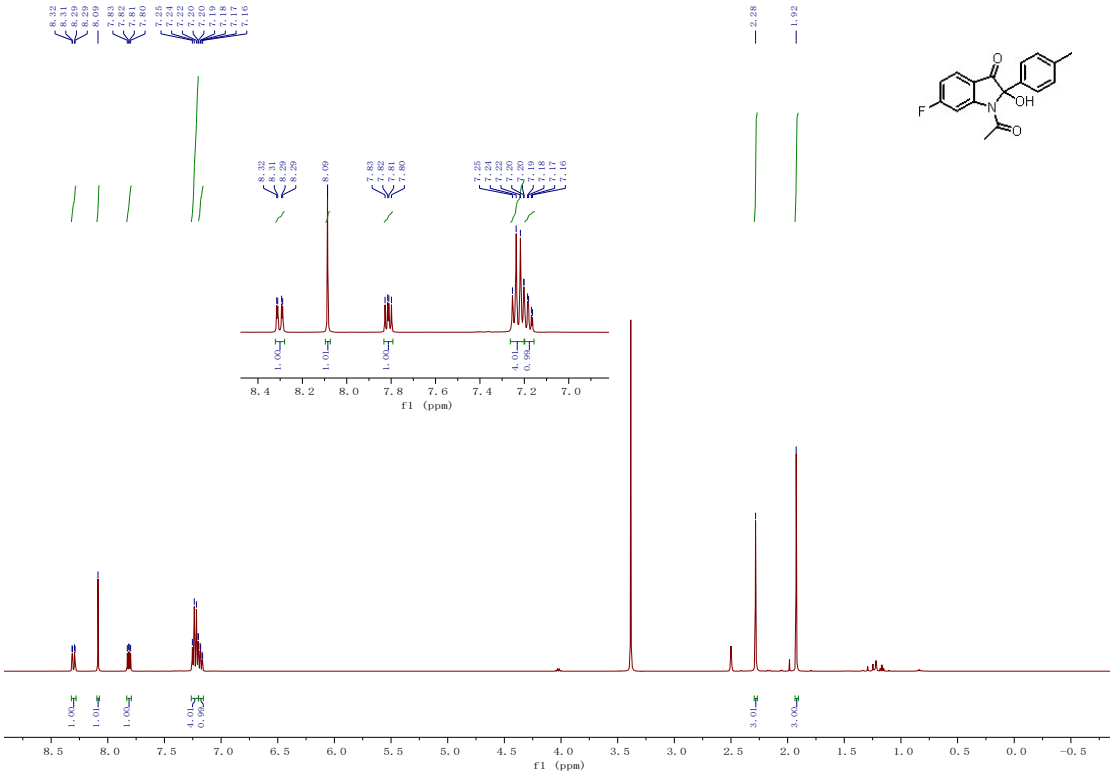


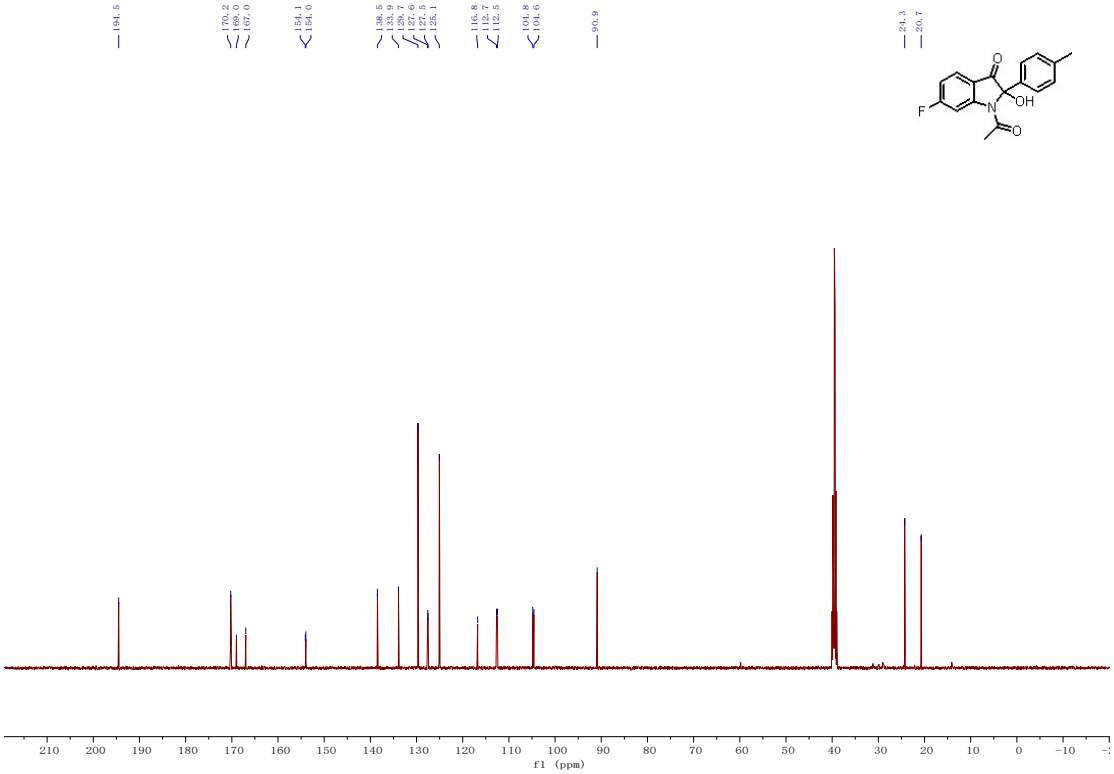
1-acetyl-2-hydroxy-6-methyl-2-(p-tolyl)indolin-3-one (2k)

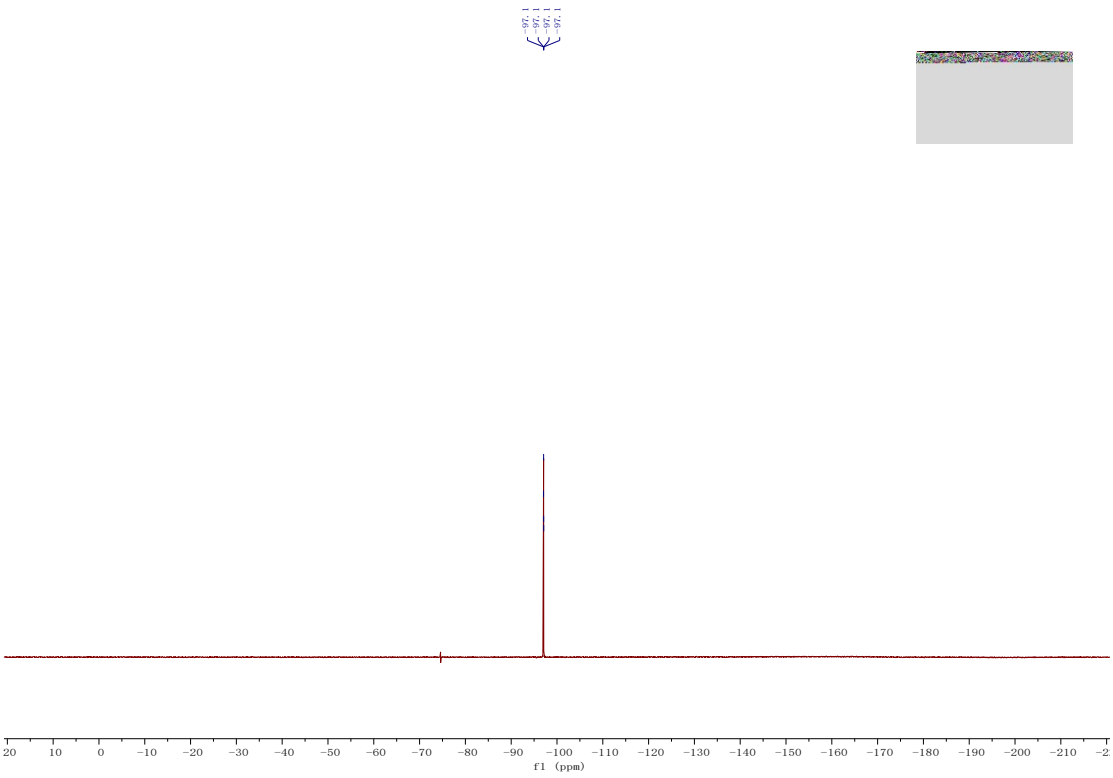




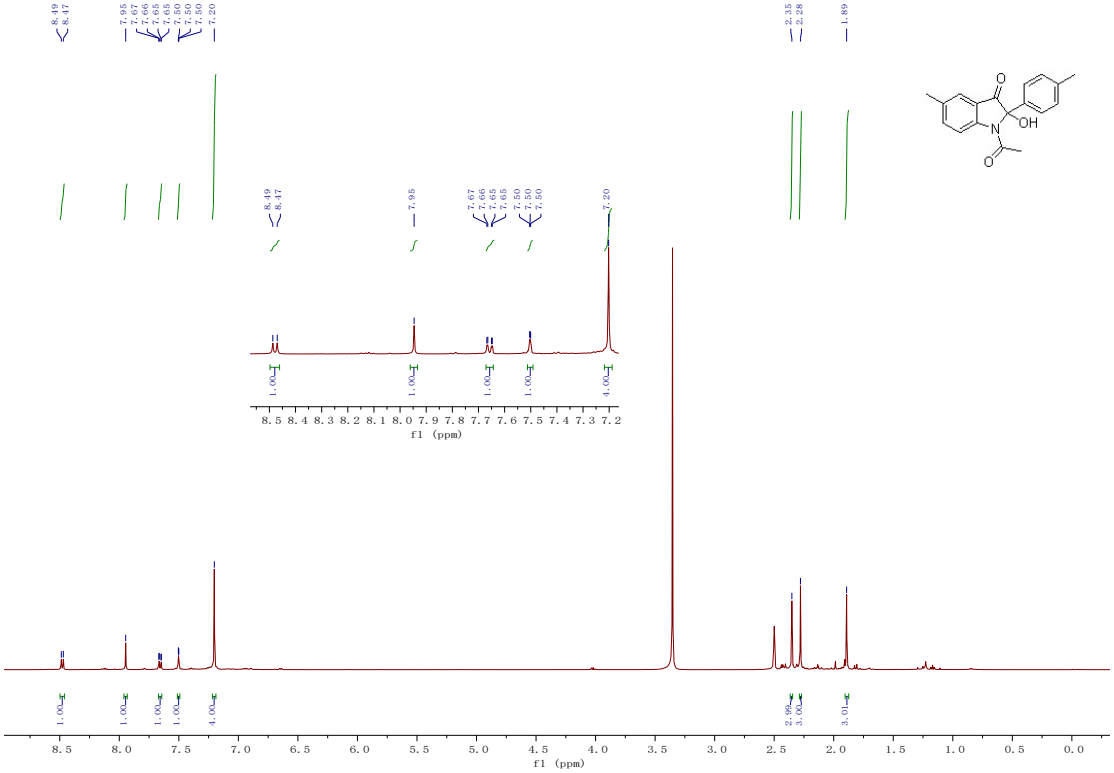
1-acetyl-6-fluoro-2-hydroxy-2-(p-tolyl)indolin-3-one (2l)

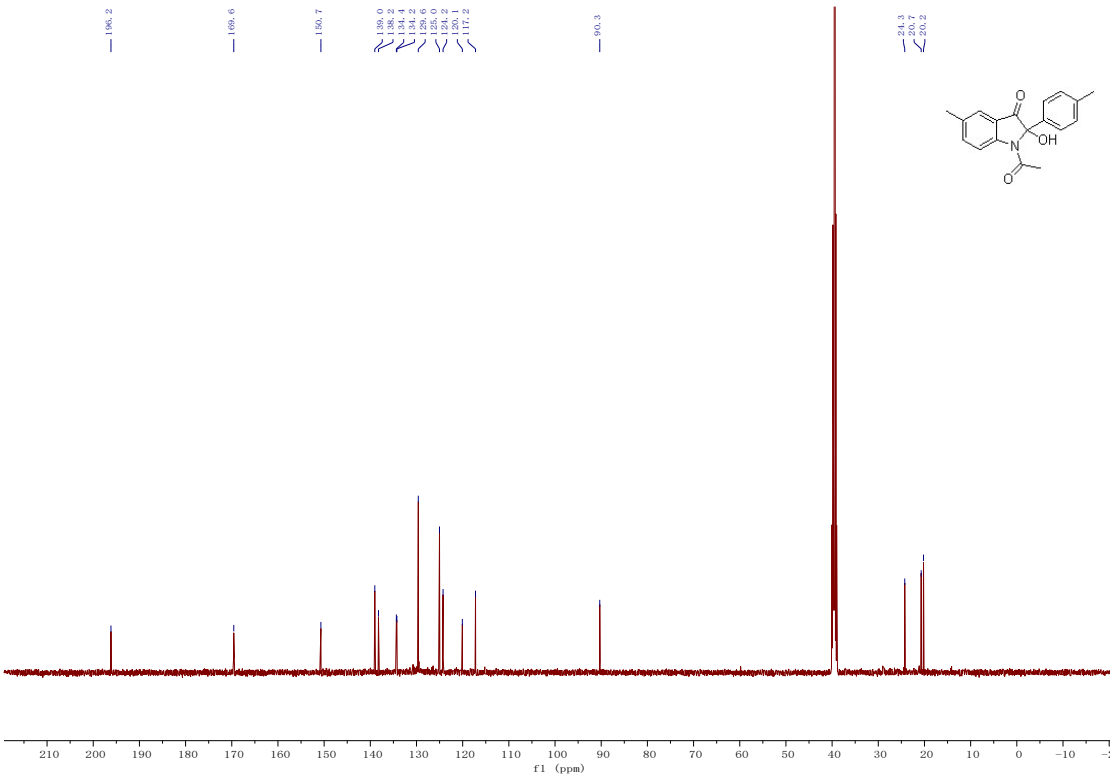




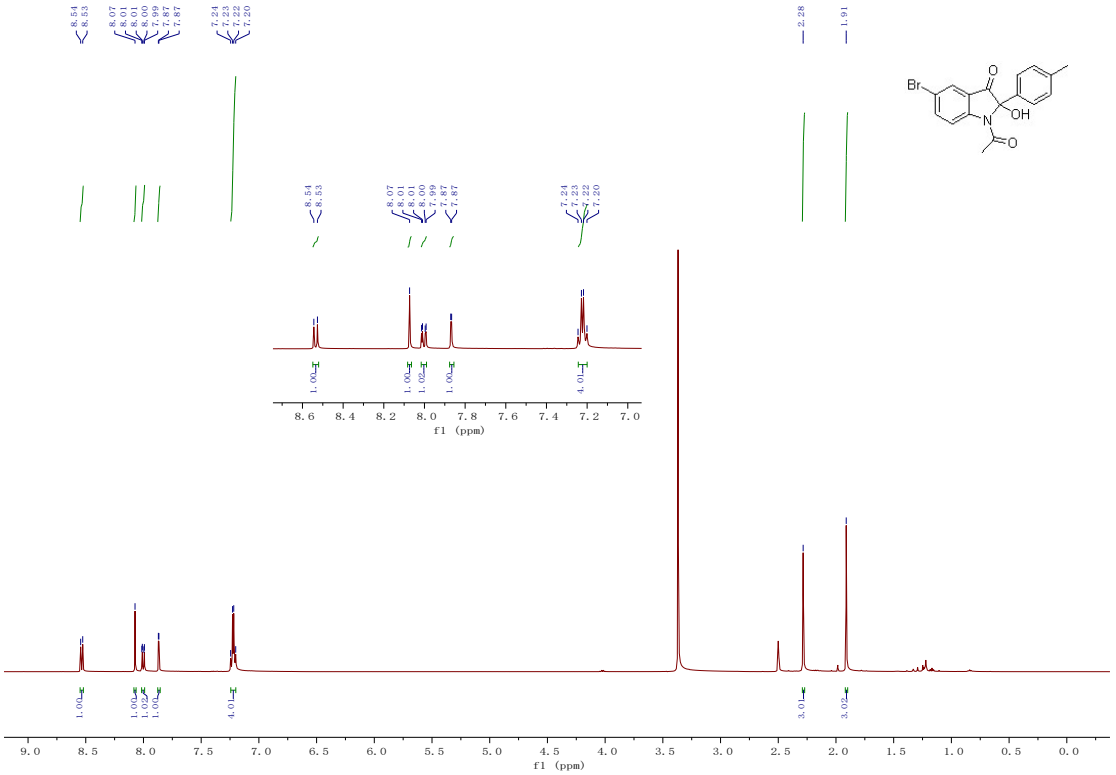

-97.16
-97.16
-97.16
-97.16
20
10
0
−10
−20
−30
−40
−50
−60
−70
−80
−90
−100
−110
−120
−130
−140
−150
−160
−170
−180
−190
−200
−210
f1 (ppm)

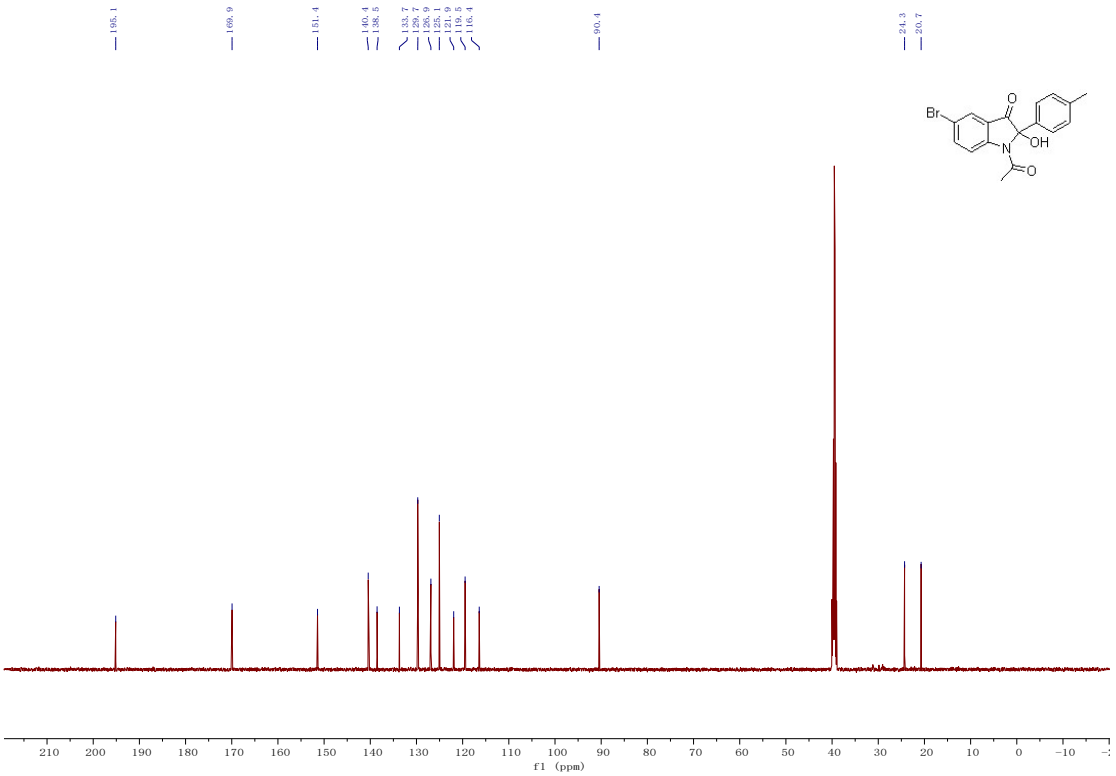
1-acetyl-2-hydroxy-5-methyl-2-(p-tolyl)indolin-3-one (2m)



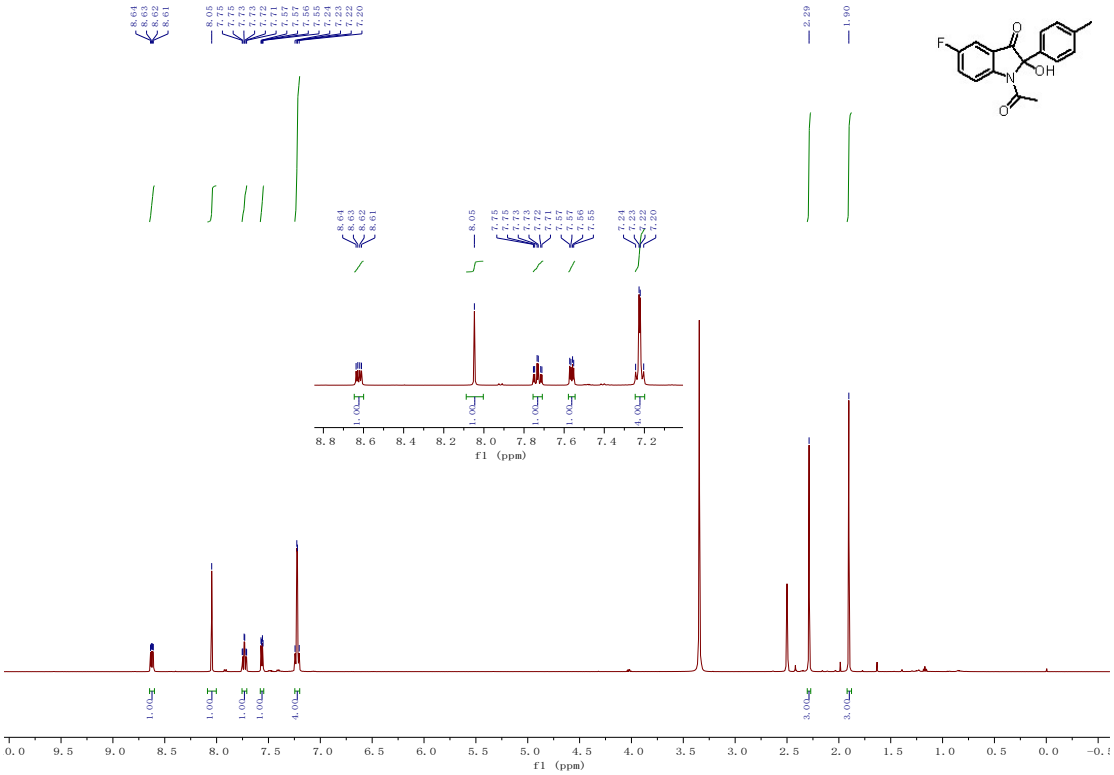


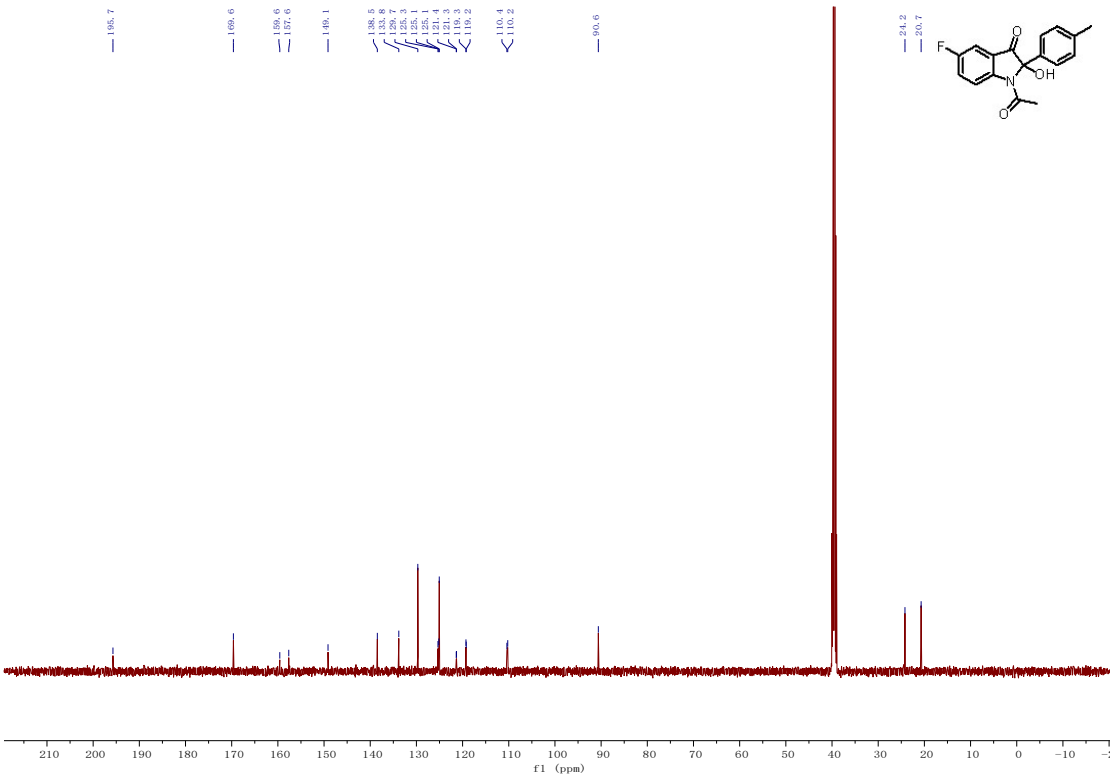
1-acetyl-5-bromo-2-hydroxy-2-(p-tolyl)indolin-3-one (2n)

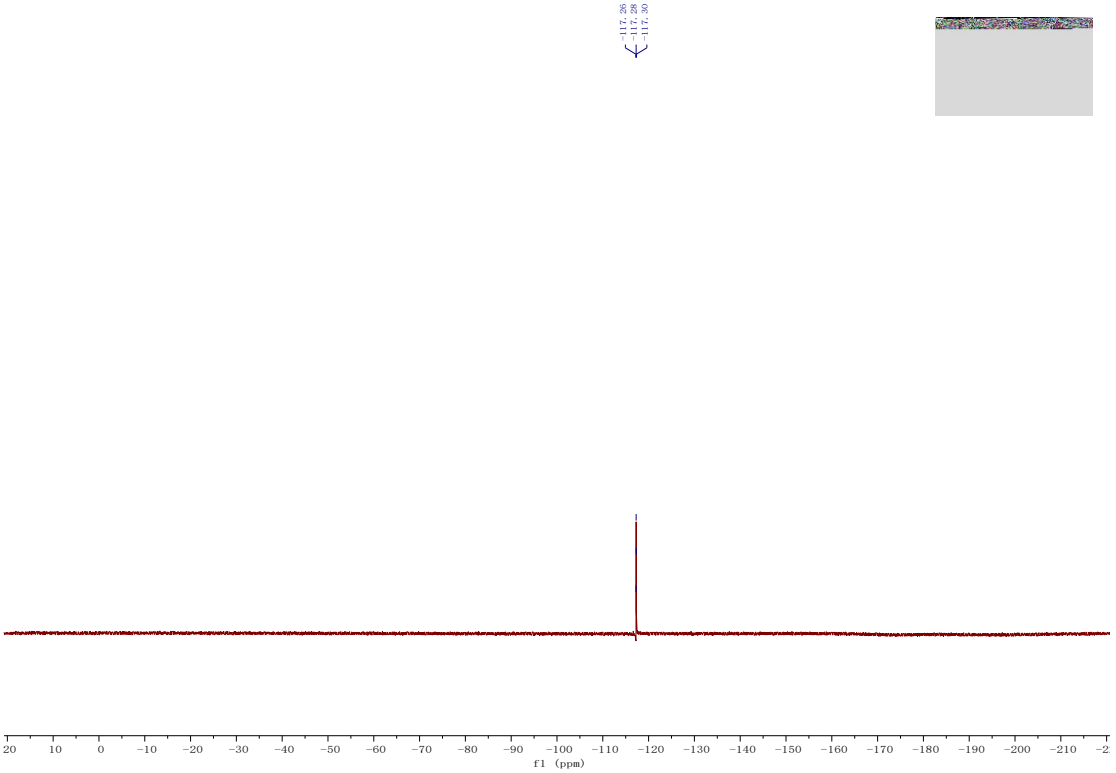




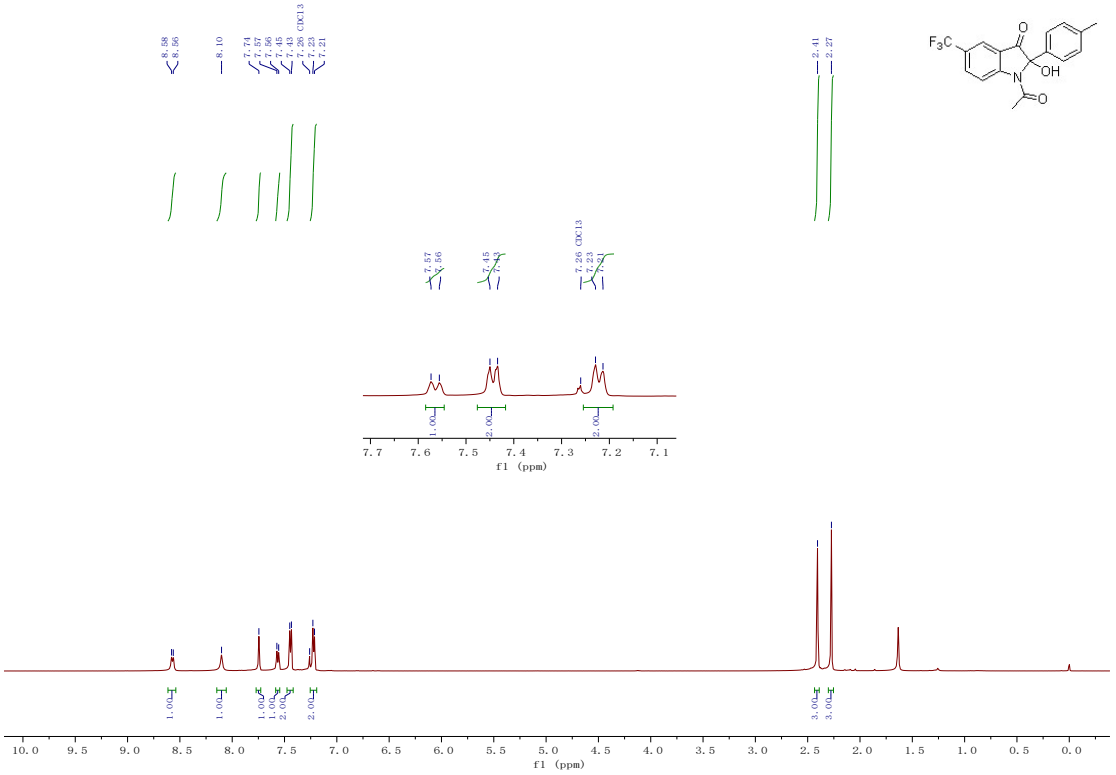
1-acetyl-5-fluoro-2-hydroxy-2-(p-tolyl)indolin-3-one (2o)

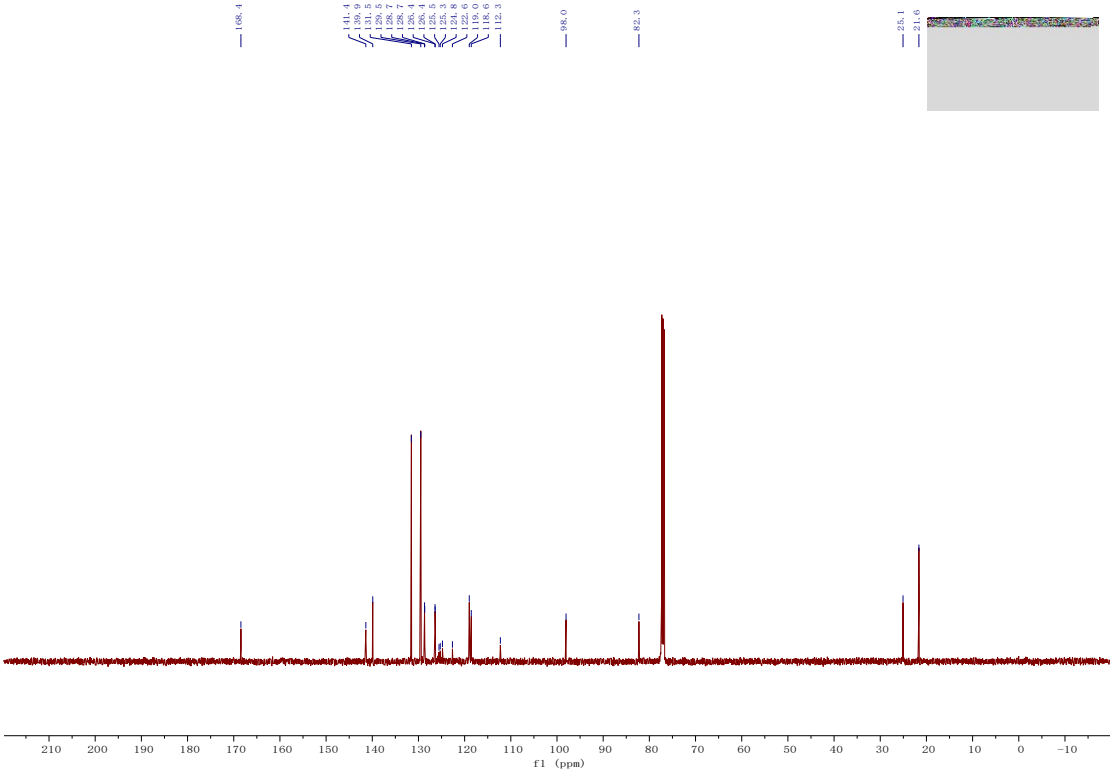






1-acetyl-2-hydroxy-2-(p-tolyl)-5-(trifluoromethyl)indolin-3-one (2p)

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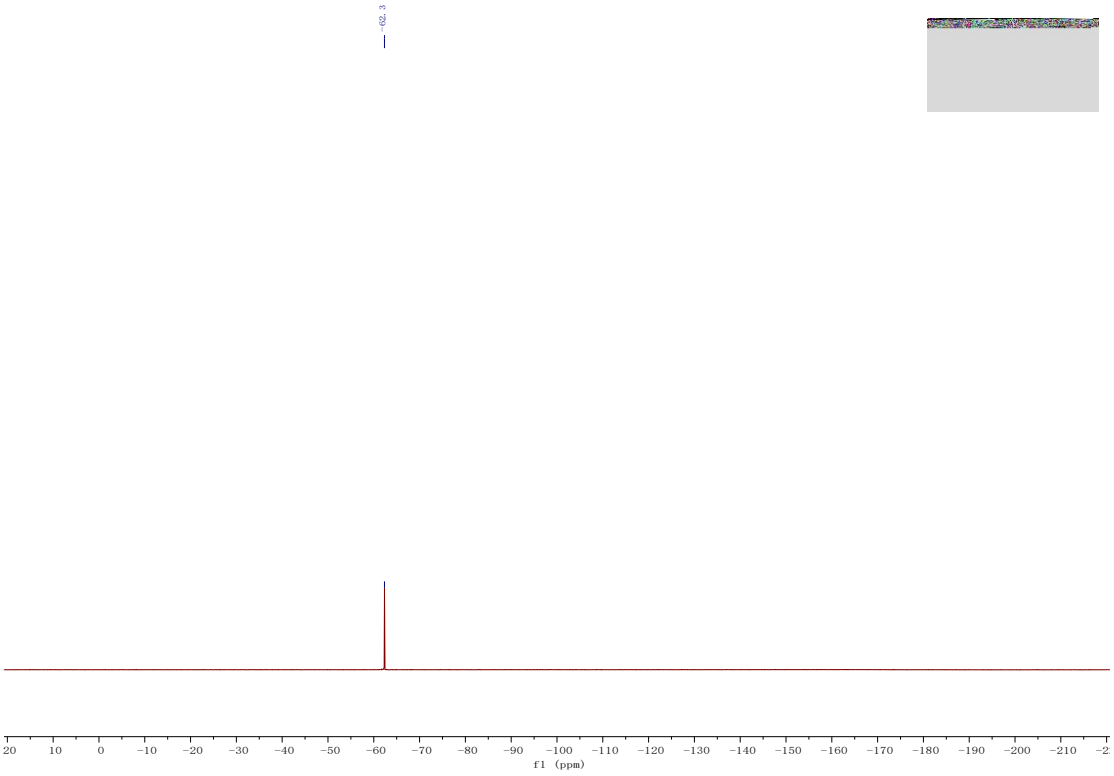
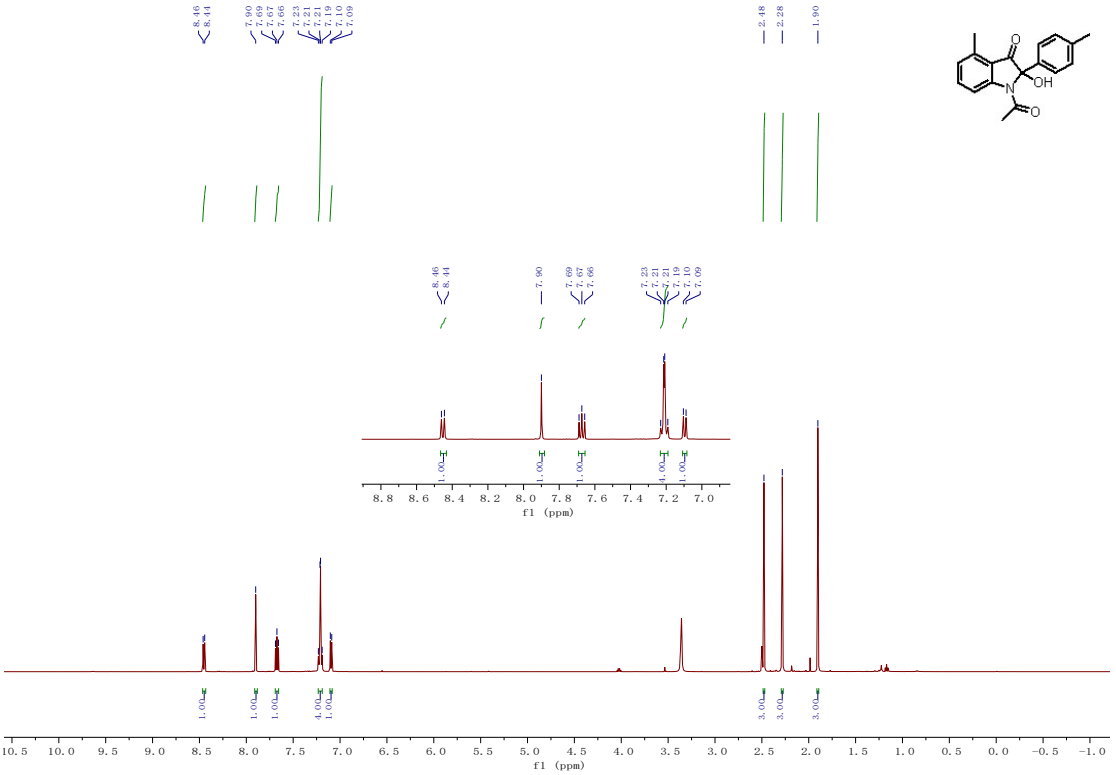
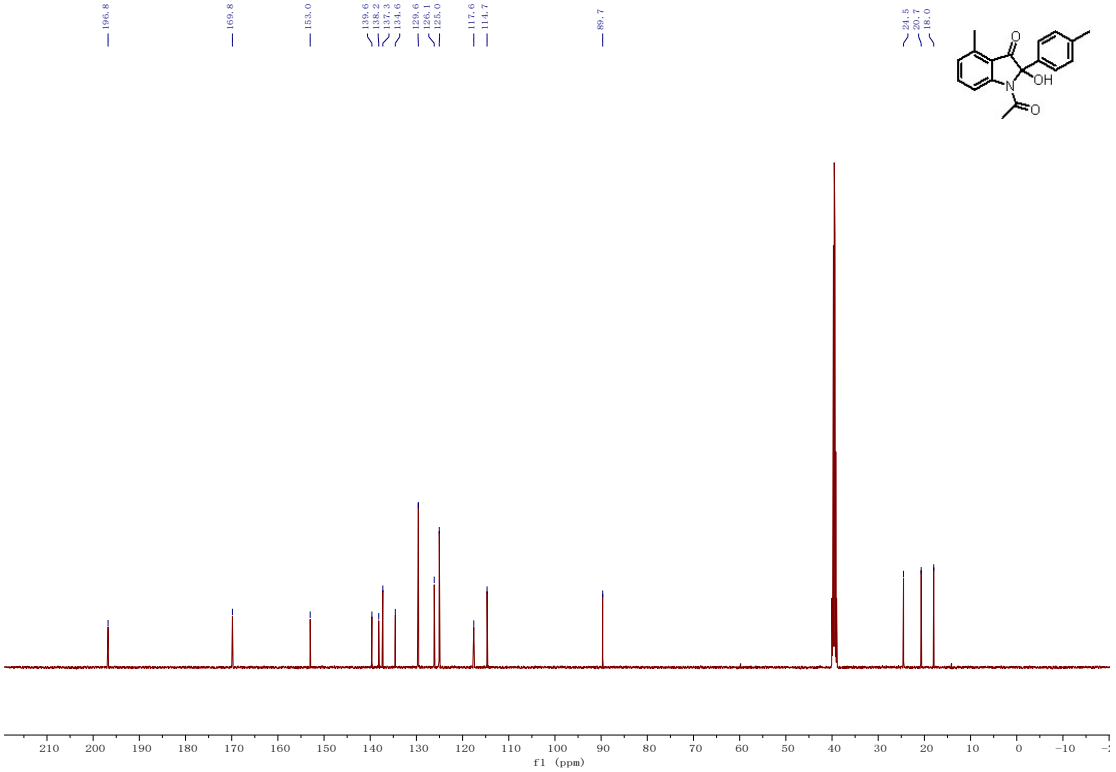
141.4
139.9
131.5
129.5
128.7
128.7
128.4
126.4
125.5
125.3
124.8
122.6
119.0
116.6
112.3
98.0
82.3
25.1
21.6
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

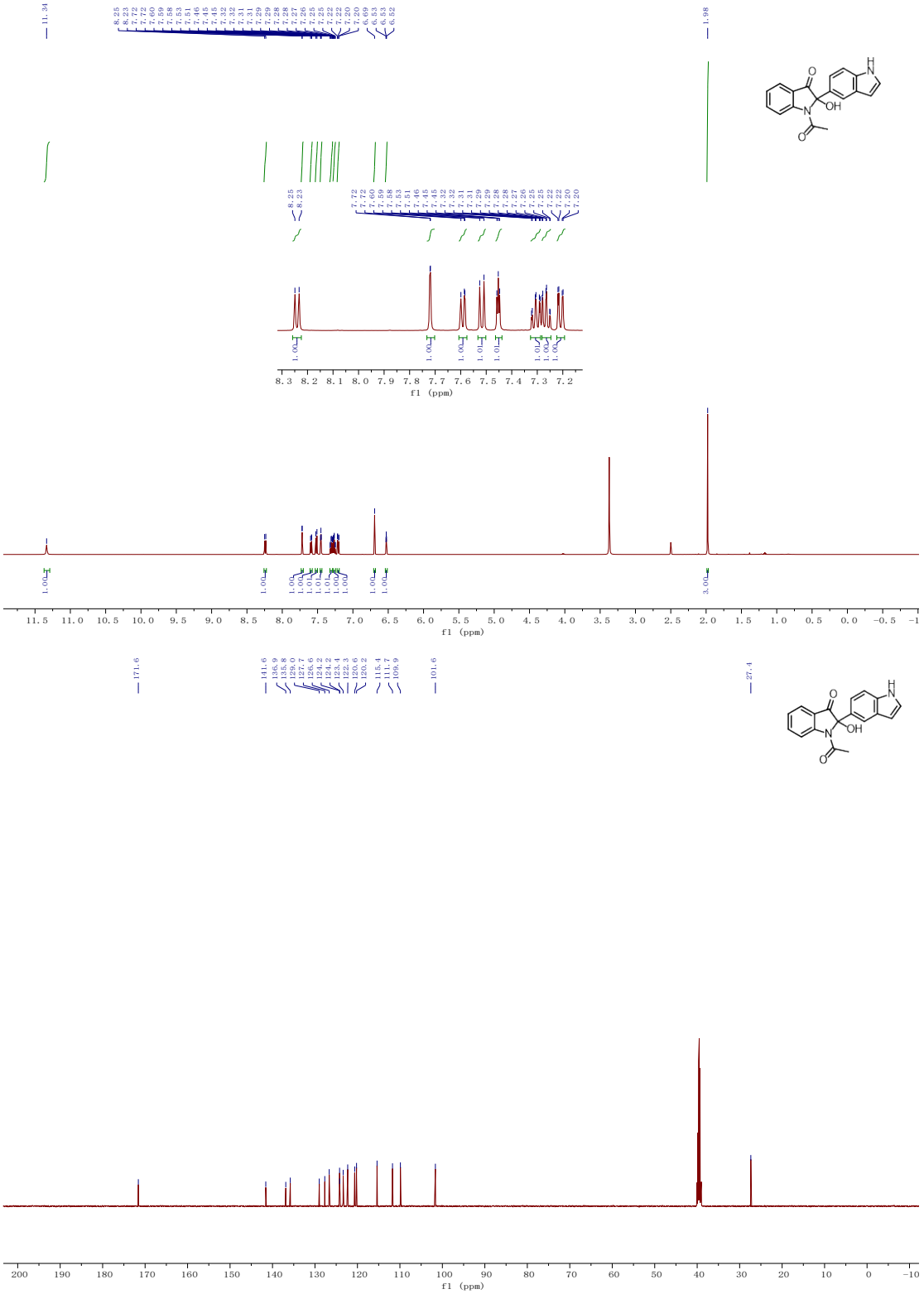

−62.3
20 10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210 −2
f1 (ppm)

1-acetyl-2-hydroxy-4-methyl-2-(p-tolyl)indolin-3-one (2q)

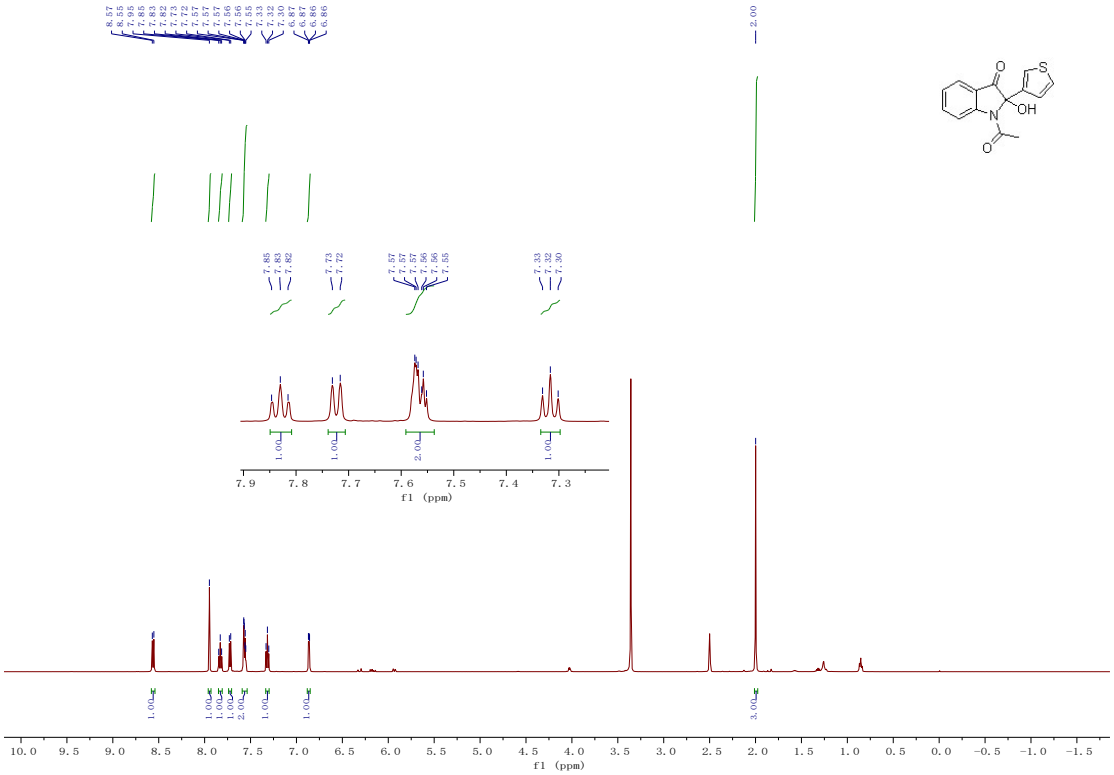


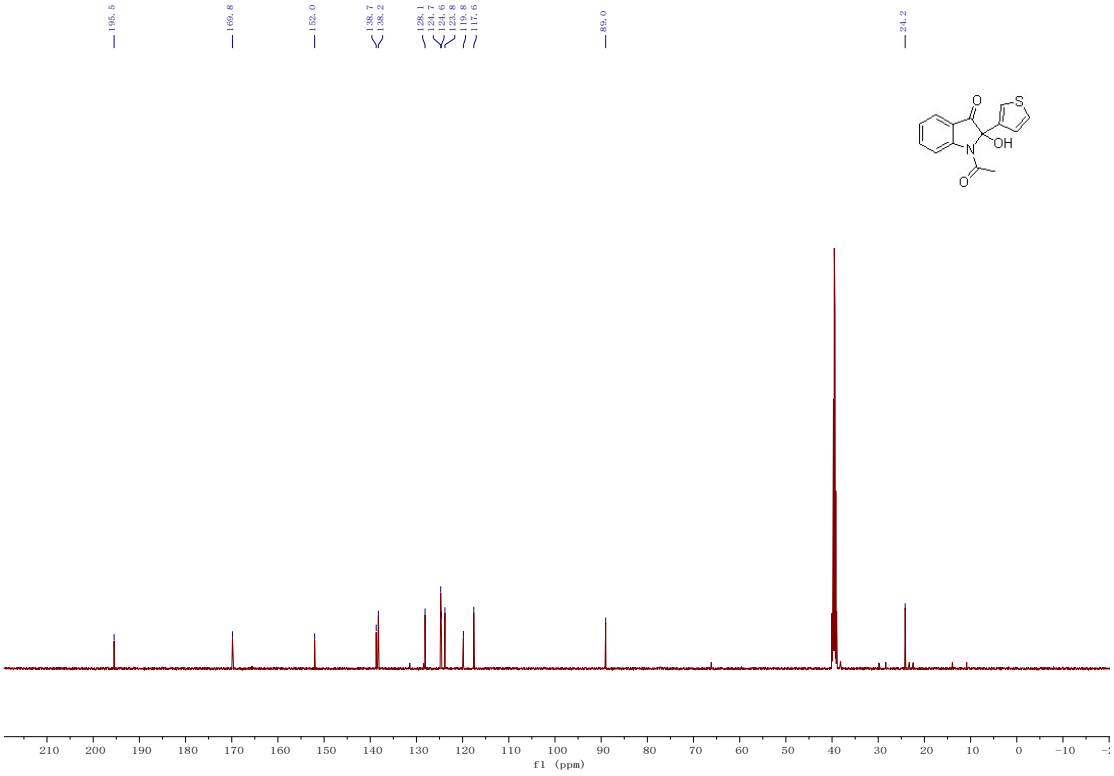


1-acetyl-2-hydroxy-2-(1H-indol-5-yl)indolin-3-one (2r)

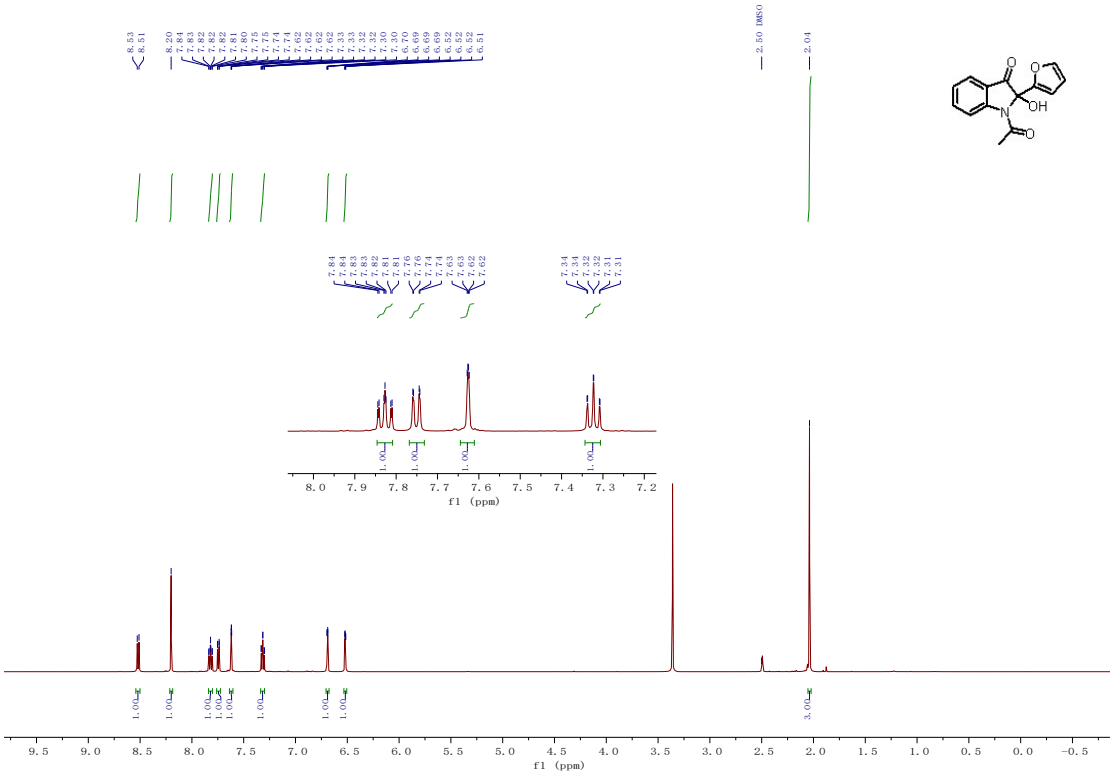


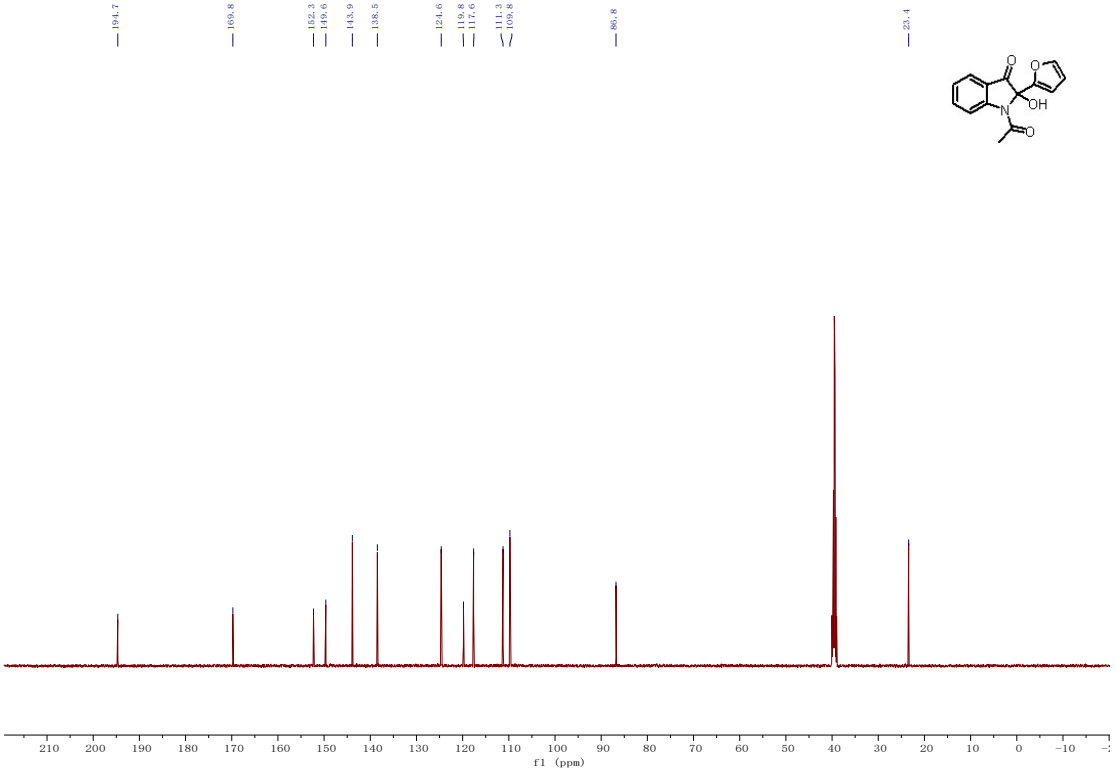
1-acetyl-2-hydroxy-2-(thiophen-3-yl)indolin-3-one (2s)



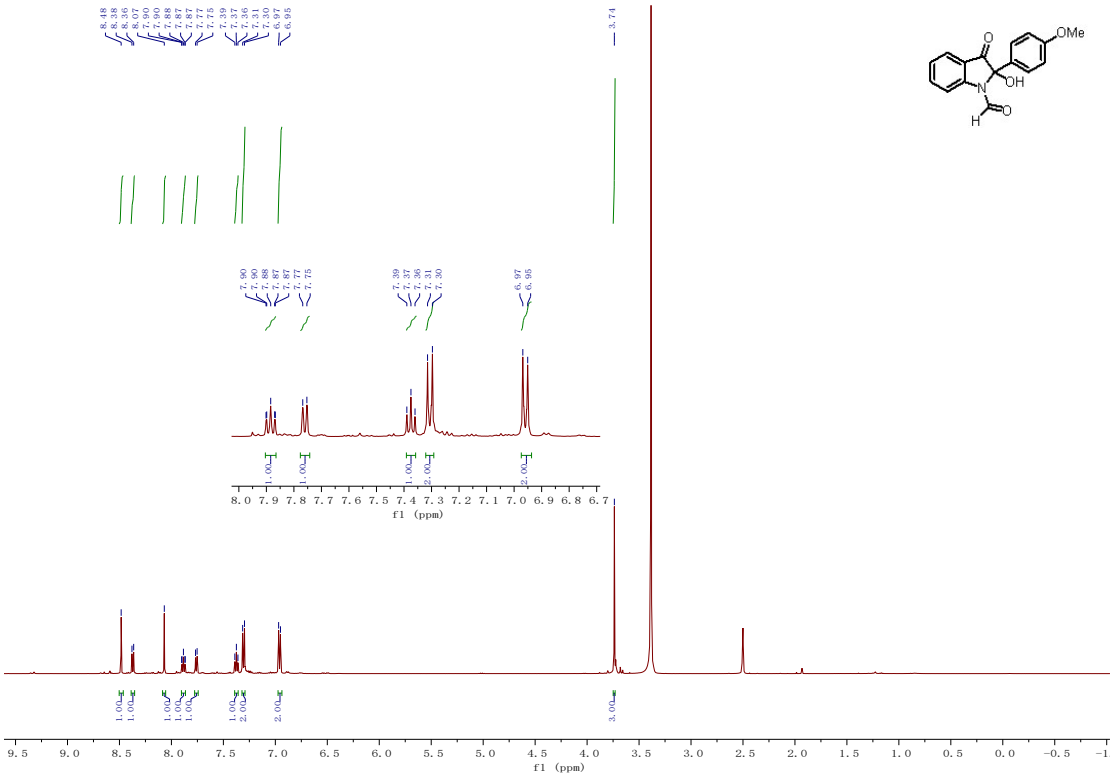


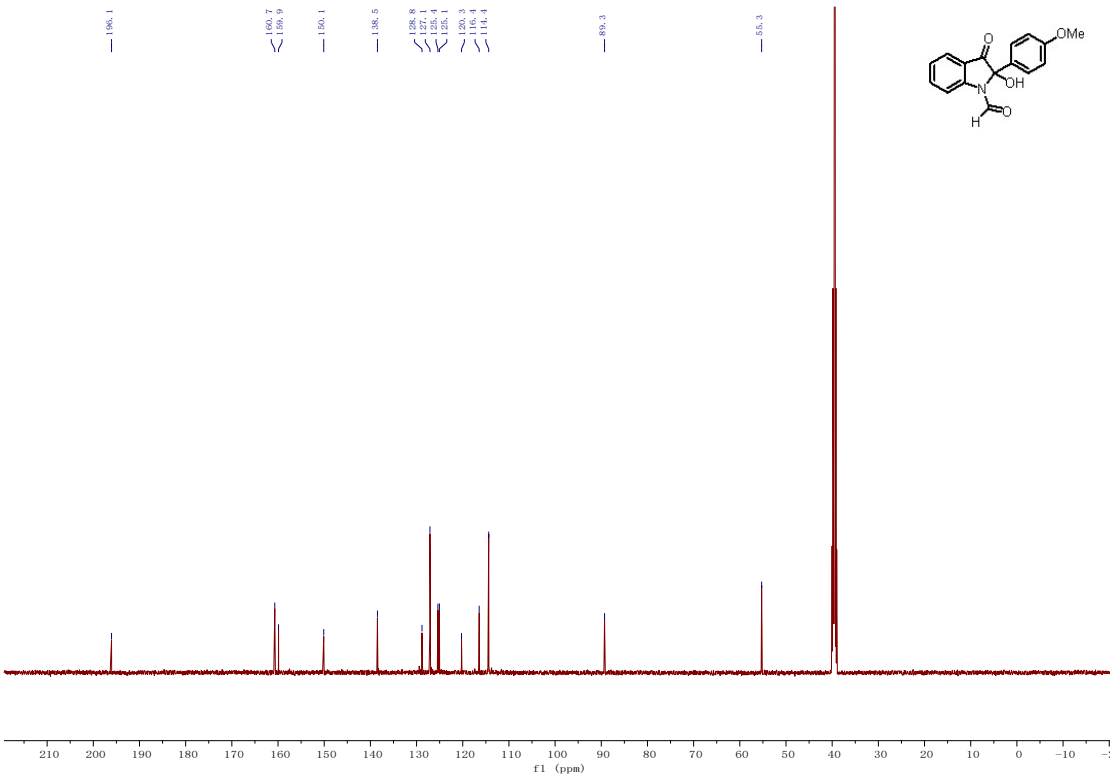
1-acetyl-2-(furan-2-yl)-2-hydroxyindolin-3-one (2t)



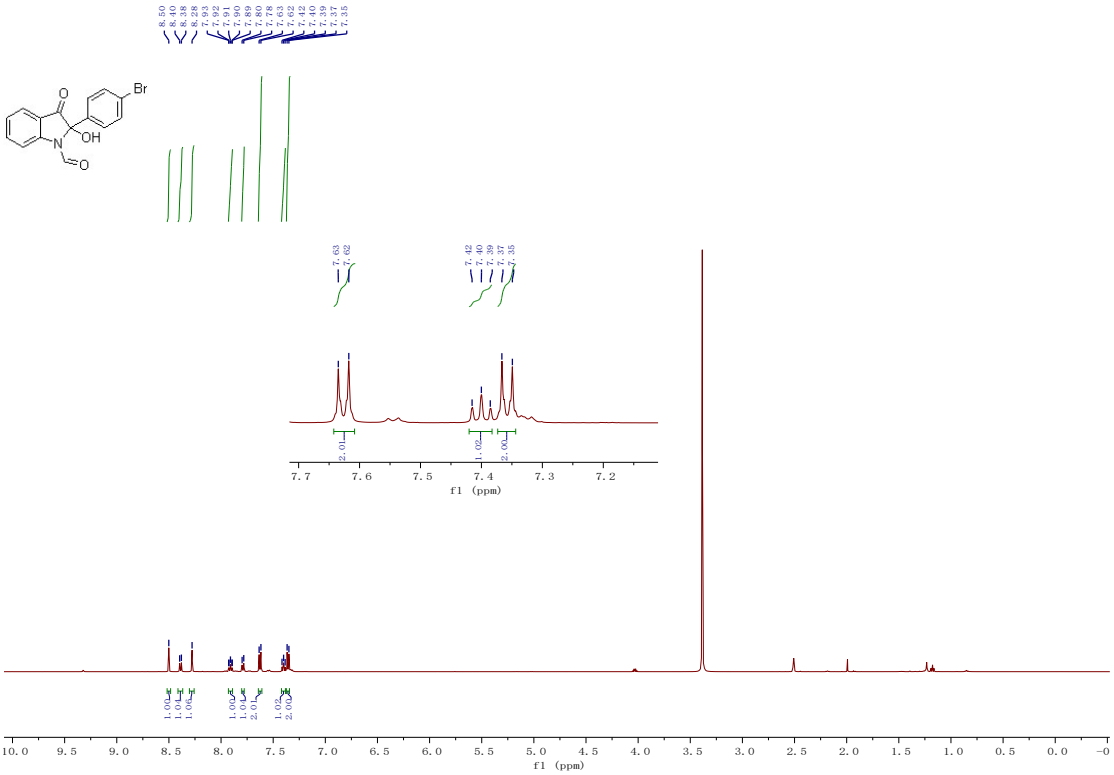


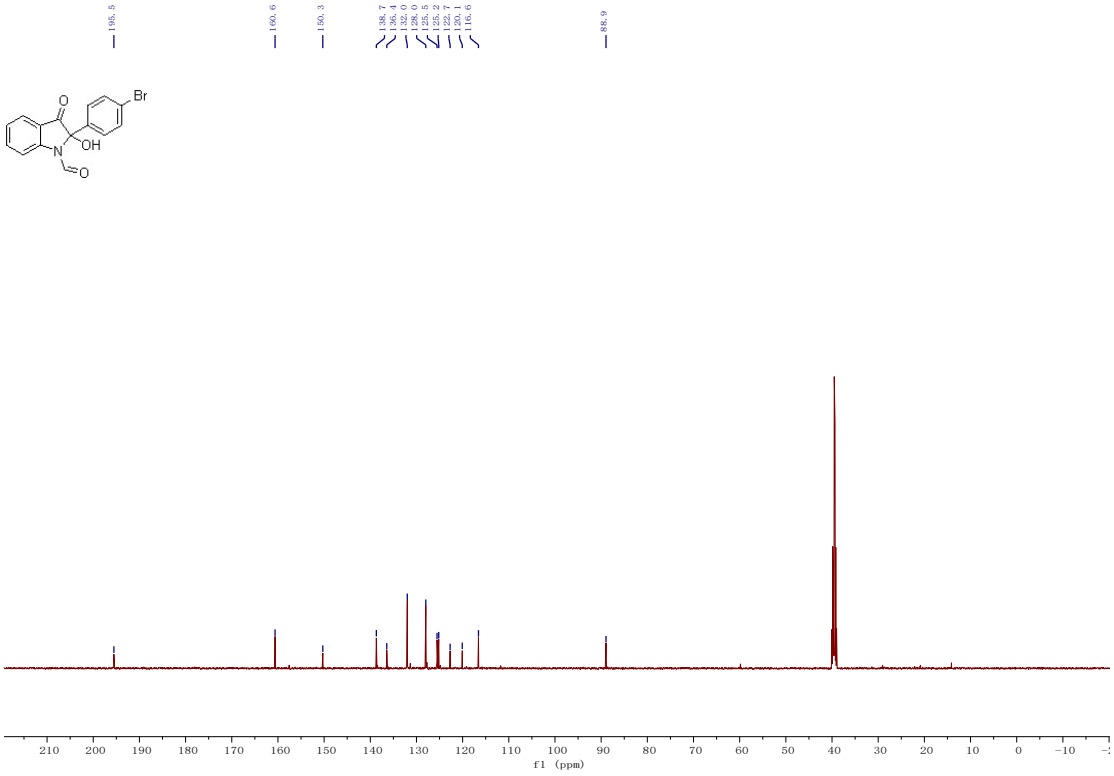
2-hydroxy-2-(4-methoxyphenyl)-3-oxoindoline-1-carbaldehyde (2u)



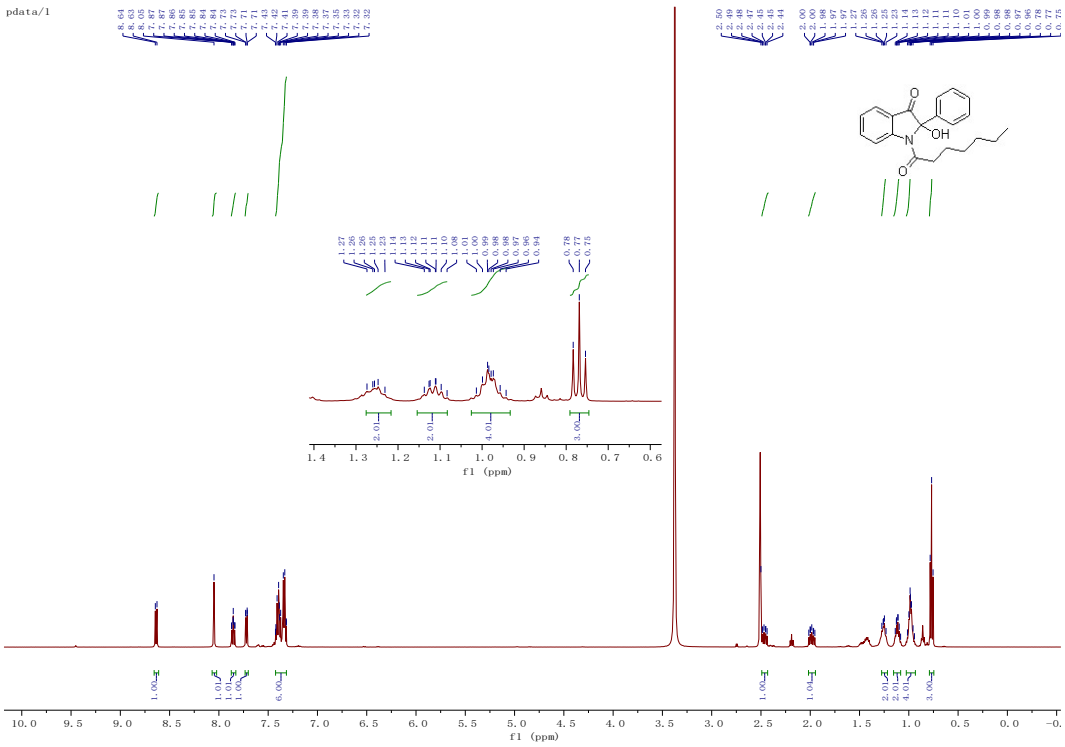


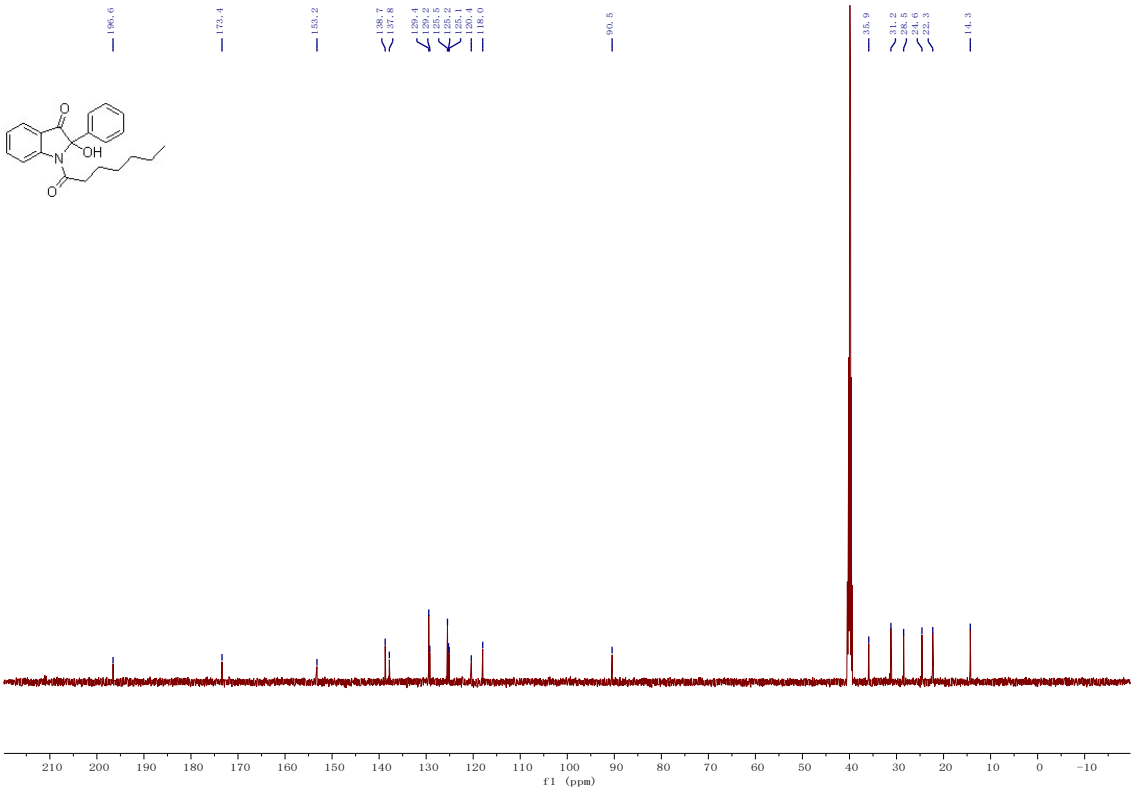
2-(4-bromophenyl)-2-hydroxy-3-oxoindoline-1-carbaldehyde (2v)



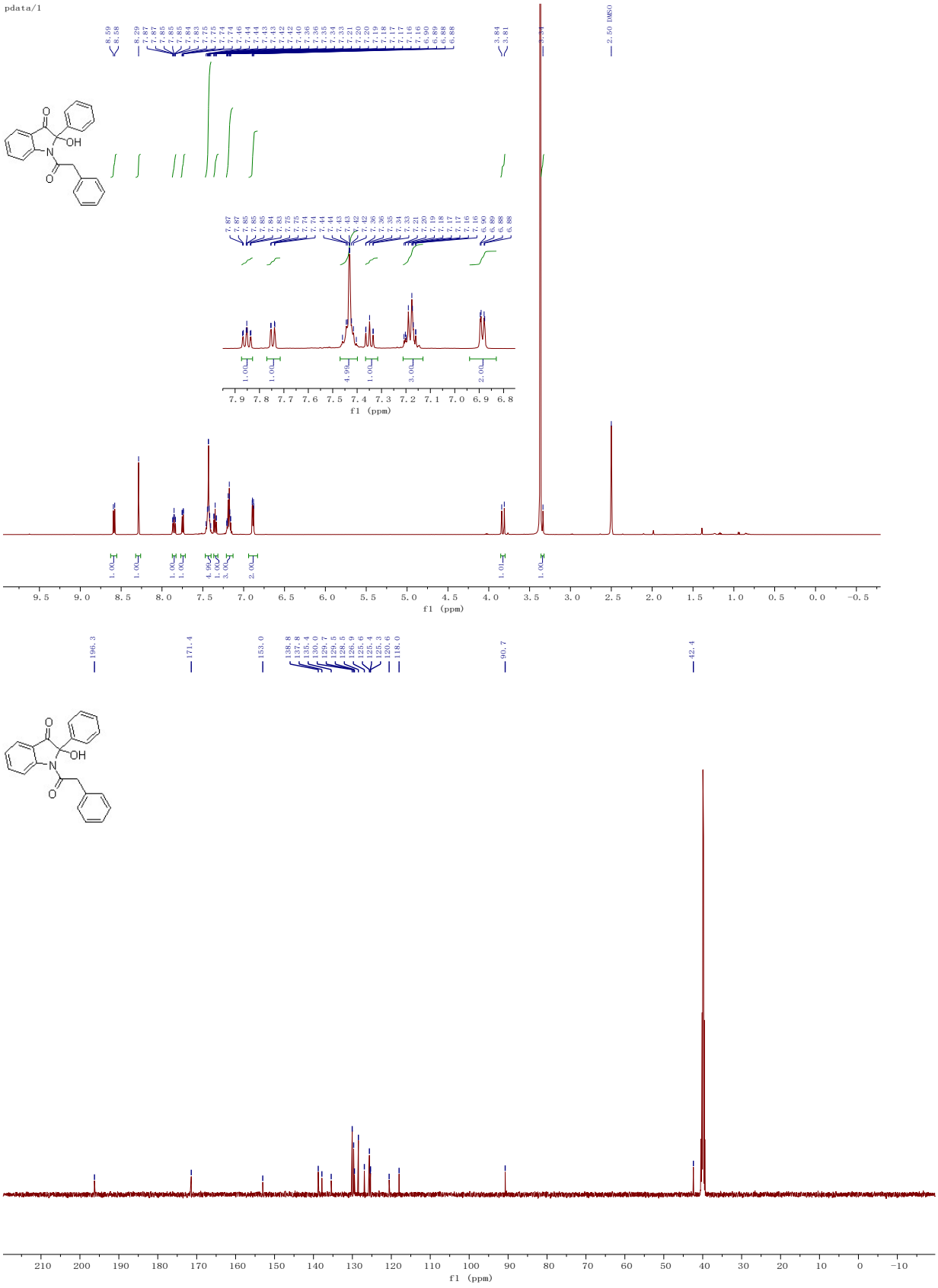


1-heptanoyl-2-hydroxy-2-phenylindolin-3-one(2w)

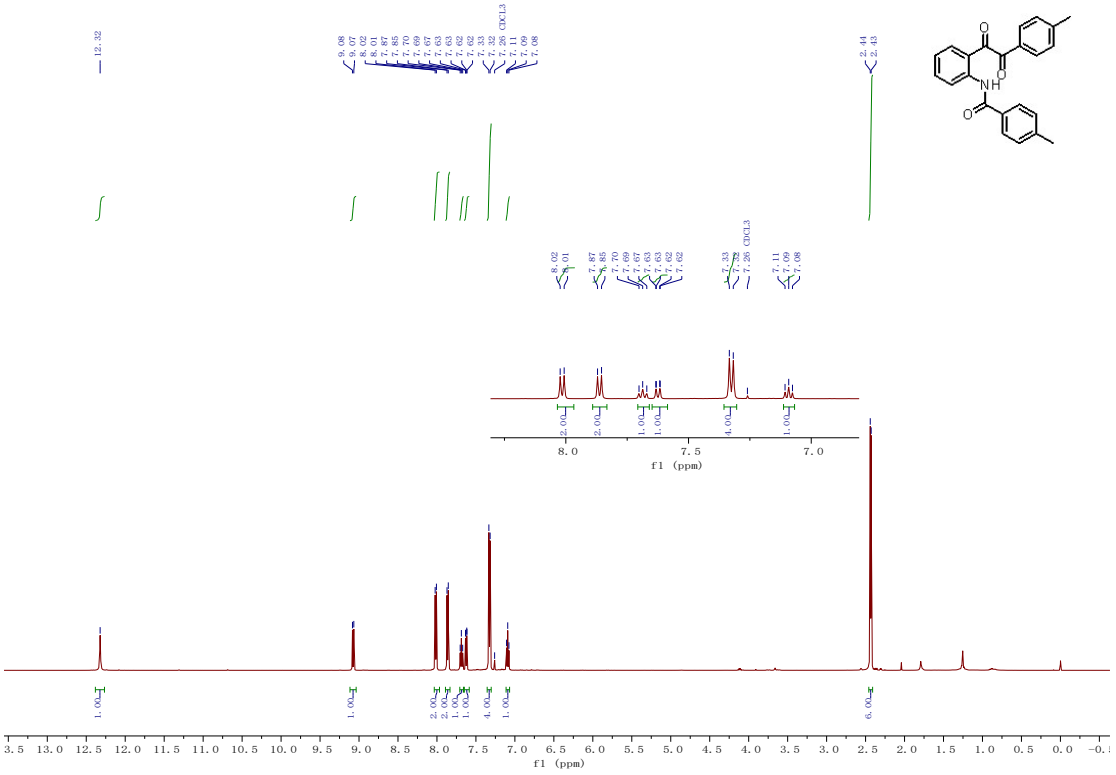


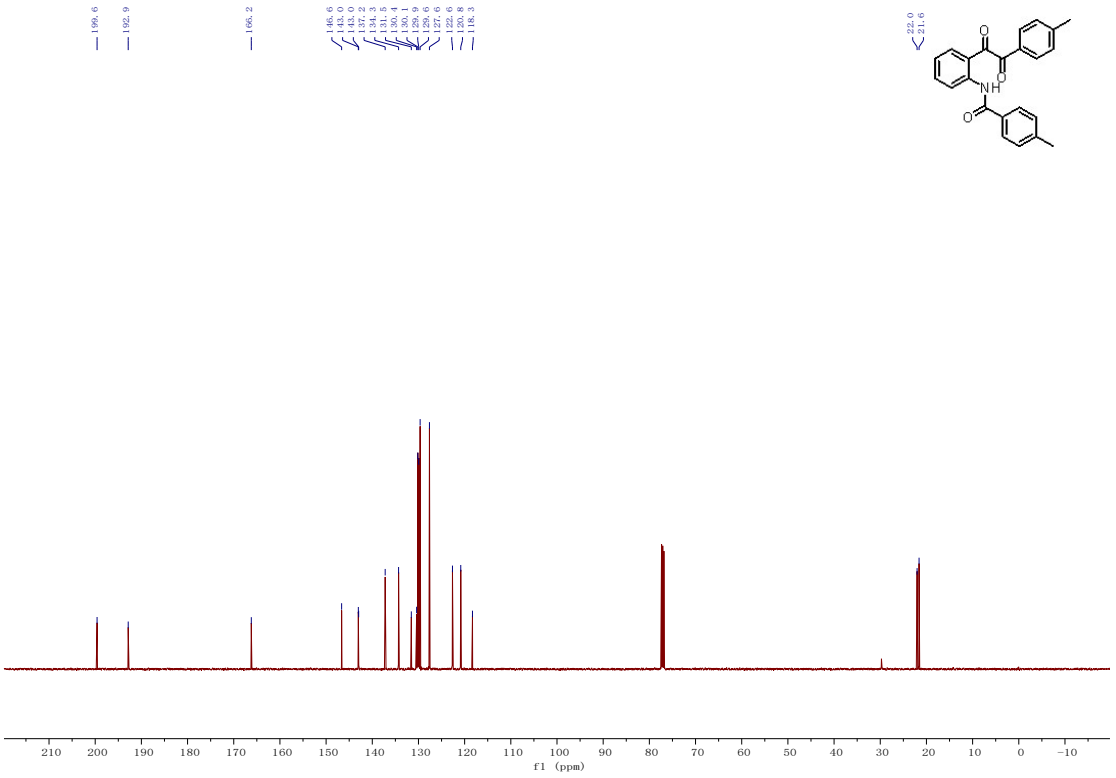


2--hydroxy-2-phenyl-1-(2-phenylacetyl)indolin-3-one(2x)

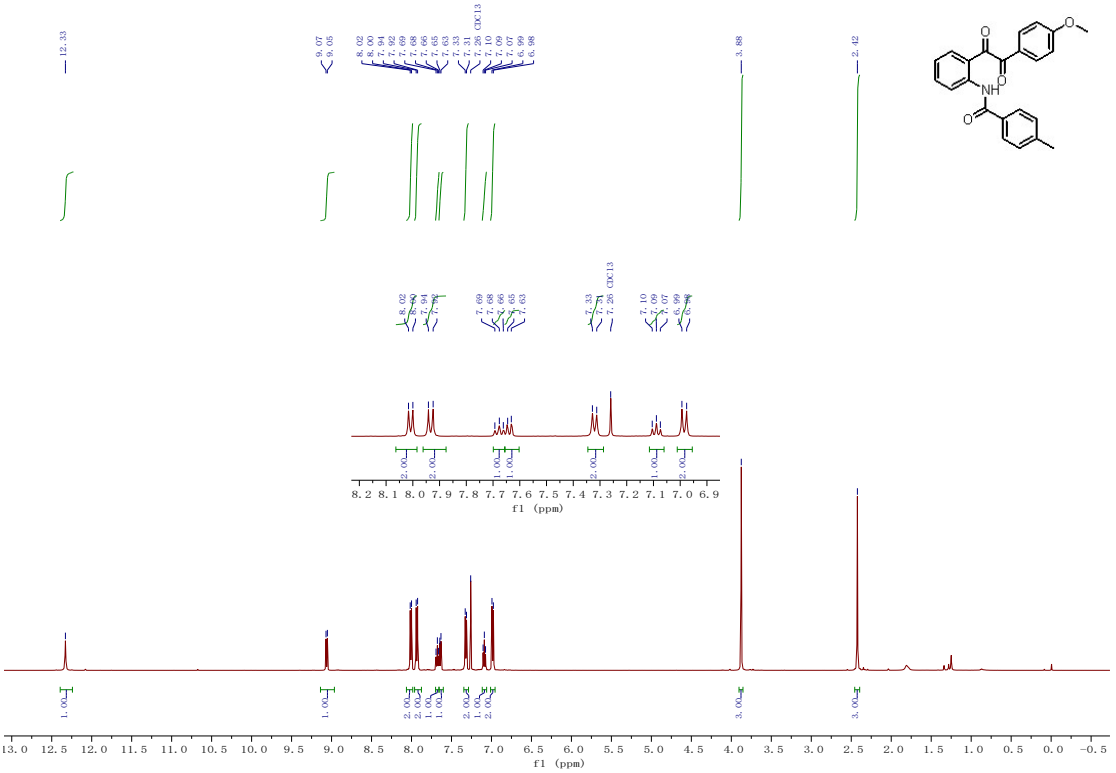


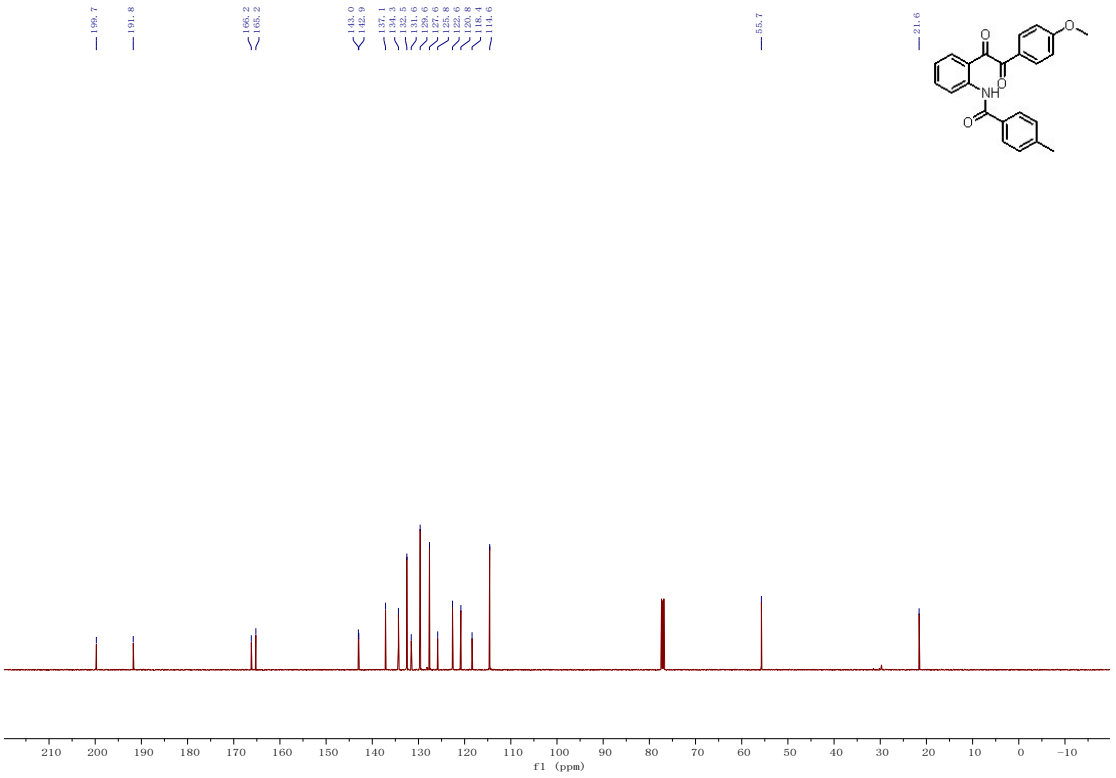
4-methyl-N-(2-(2-oxo-2-(p-tolyl)acetyl)phenyl)benzamid (3a)



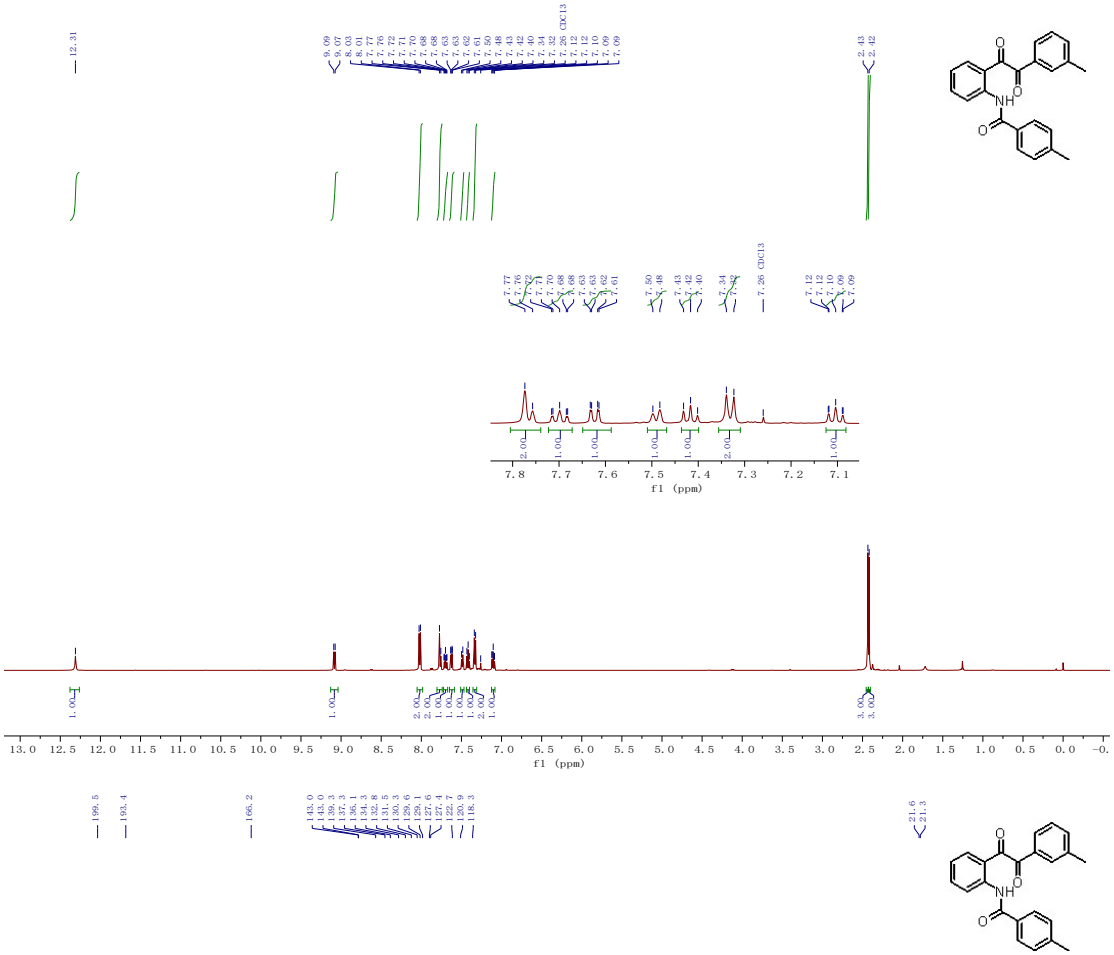


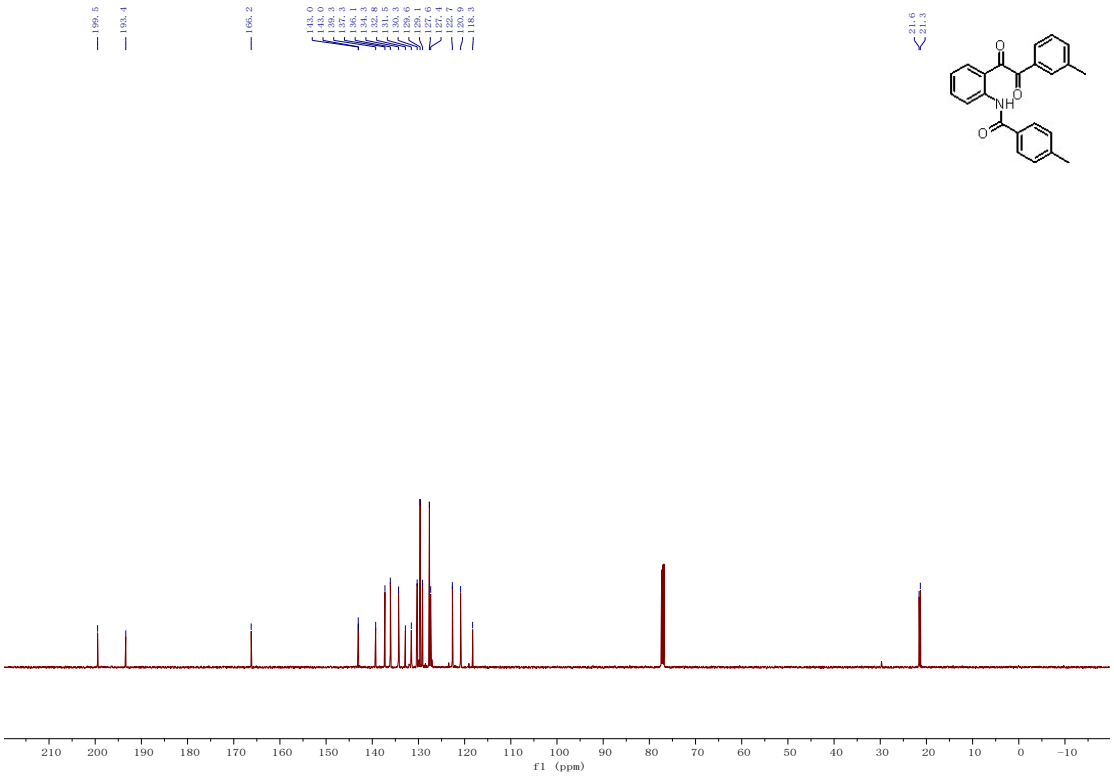
N-(2-(2-(4-methoxyphenyl)-2-oxoacetyl)phenyl)-4-methylbenzamide (3b)



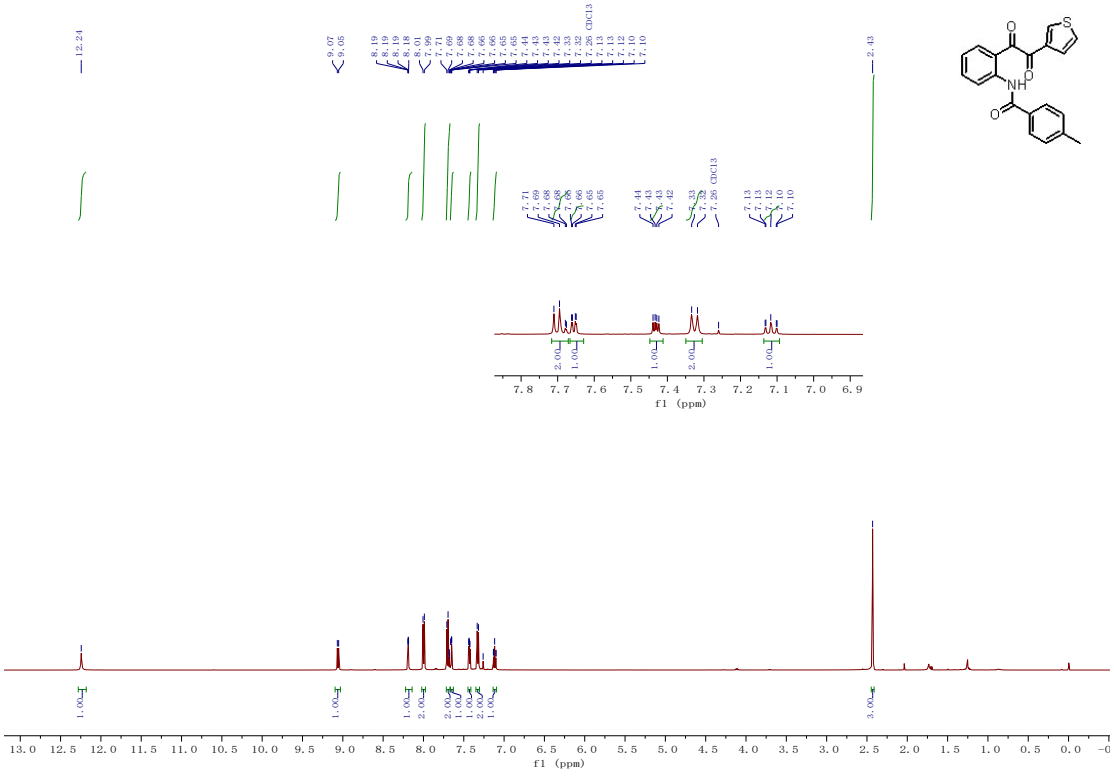


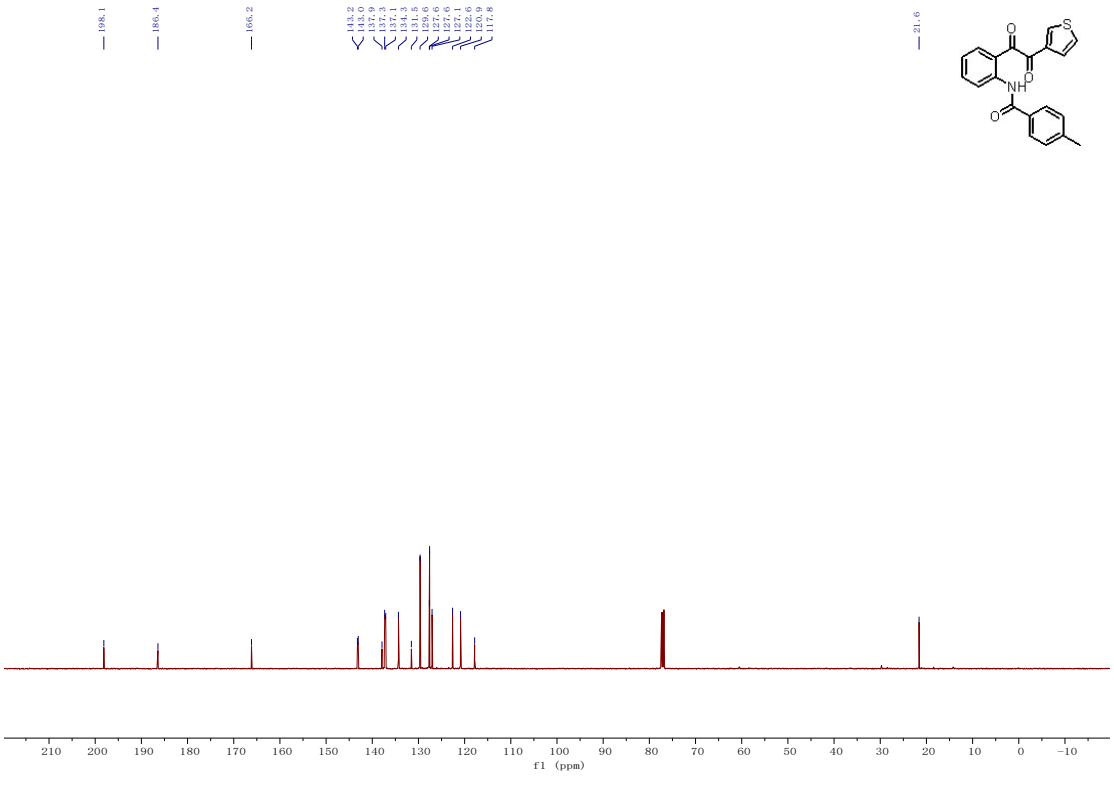
4-methyl-N-(2-(2-oxo-2-(m-tolyl)acetyl)phenyl)benzamide (3c)



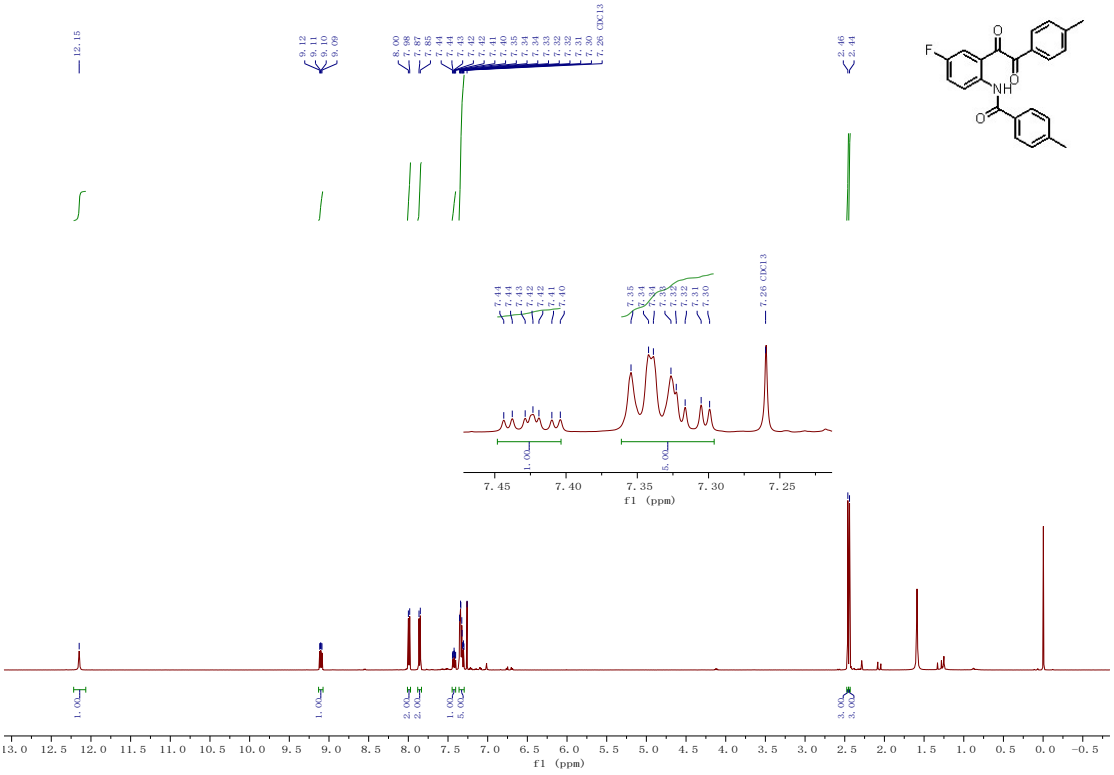


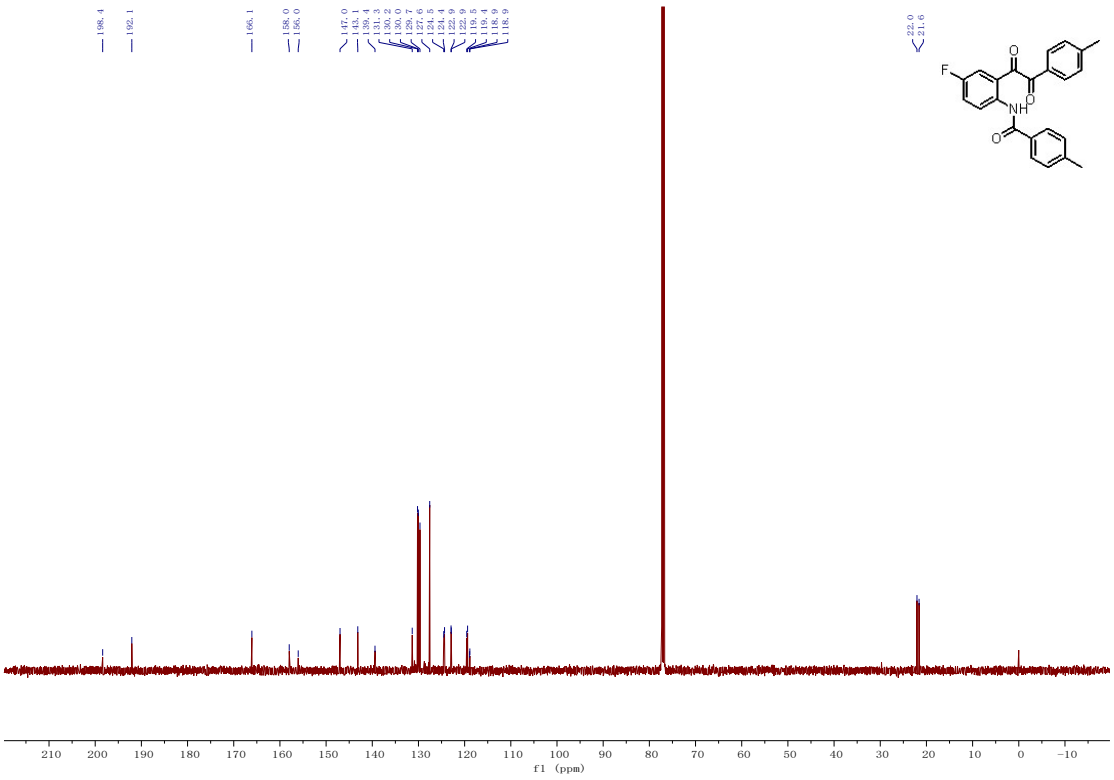
4-methyl-N-(2-(2-oxo-2-(thiophen-3-yl)acetyl)phenyl)benzamide (3d)

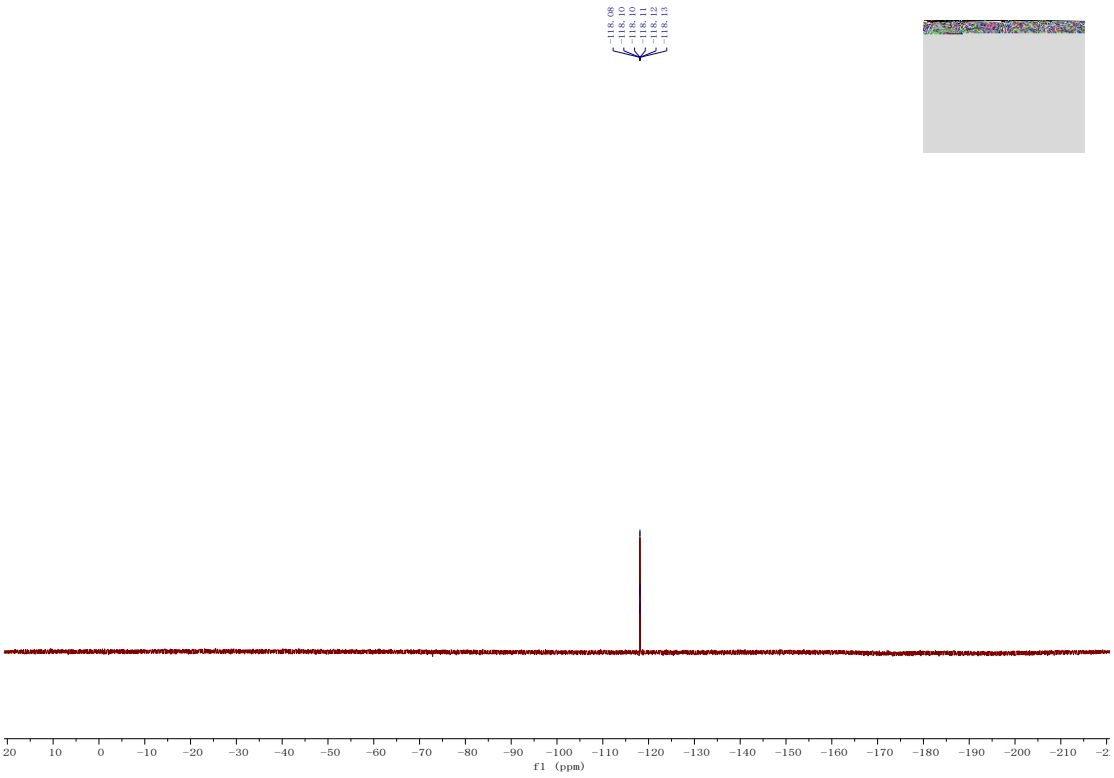




N-(4-fluoro-2-(2-oxo-2-(p-tolyl)acetyl)phenyl)-4-methylbenzamide (3e)






-118.08
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20
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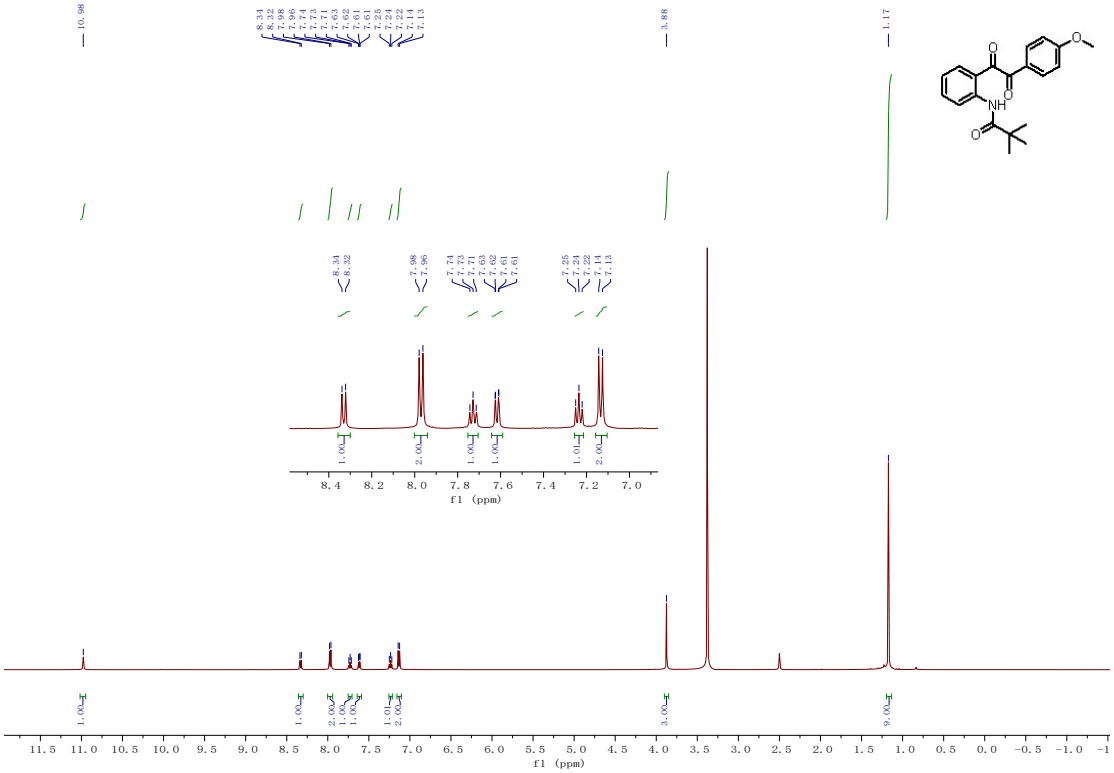
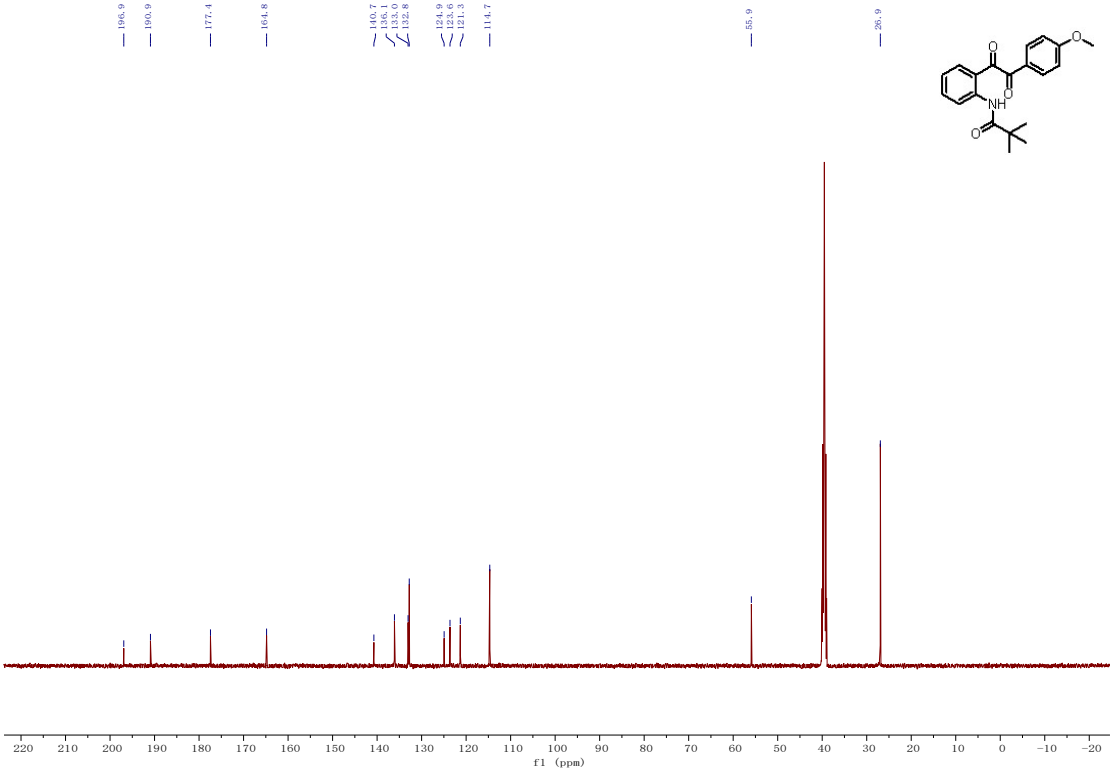
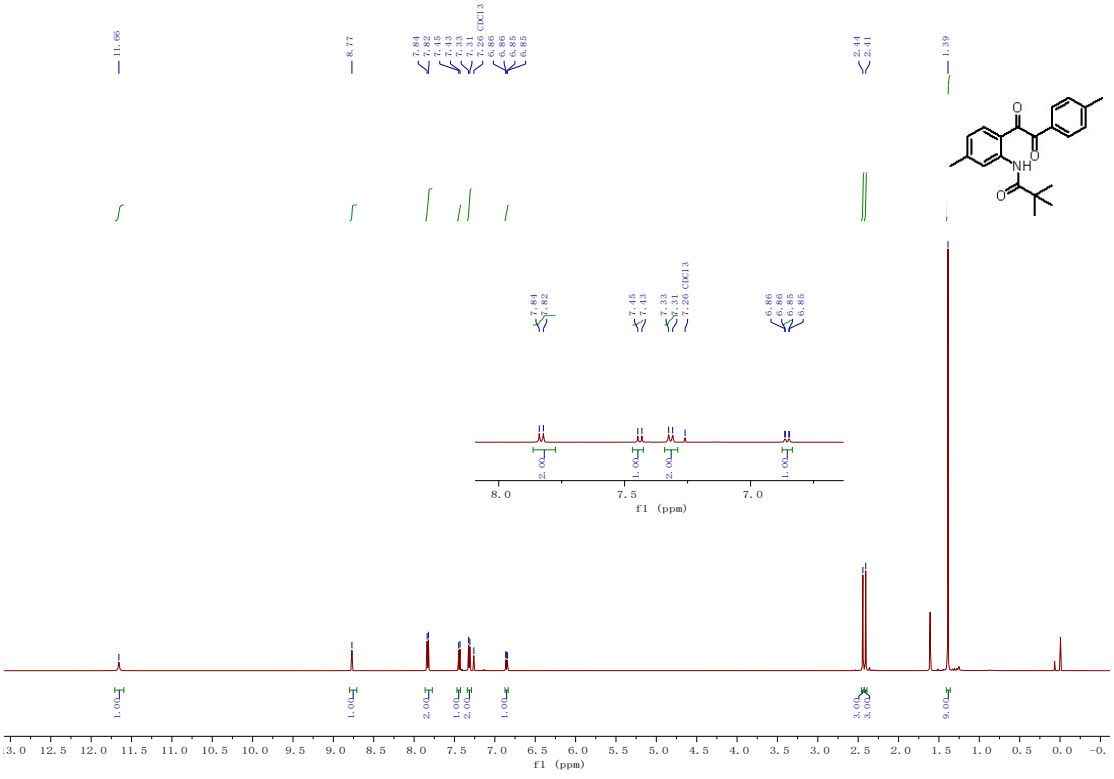
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

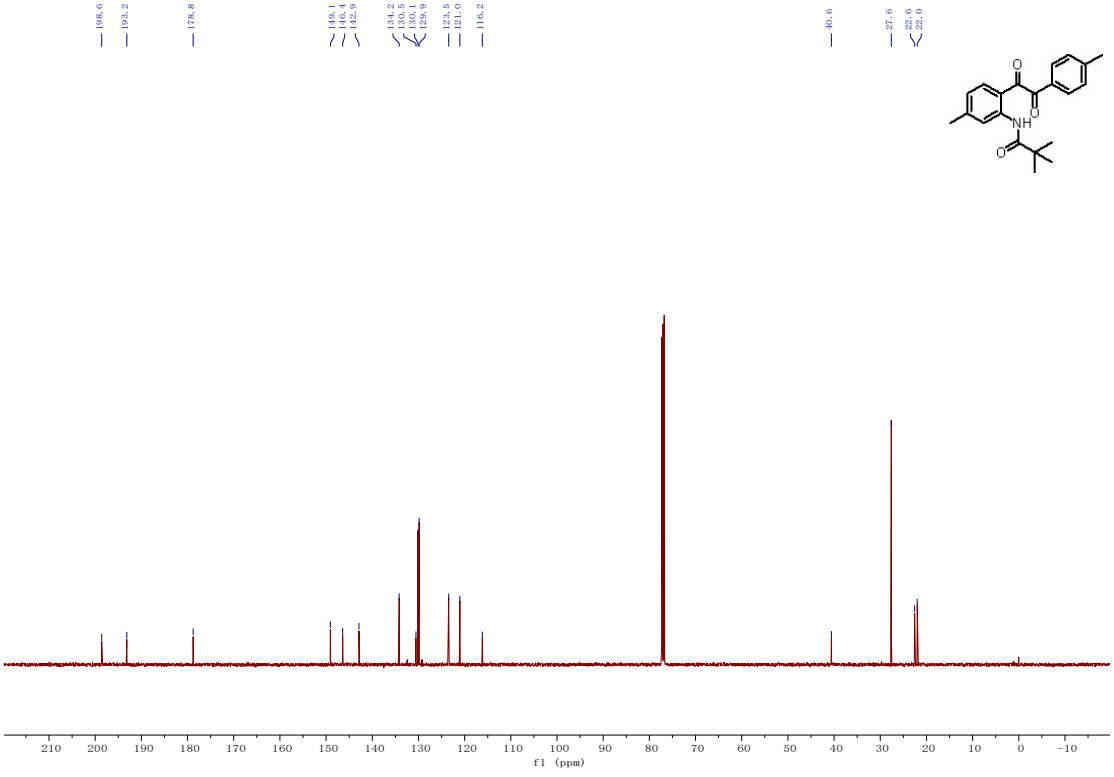
N-(2-(2-(4-methoxyphenyl)-2-oxoacetyl)phenyl)pivalamide (3f)



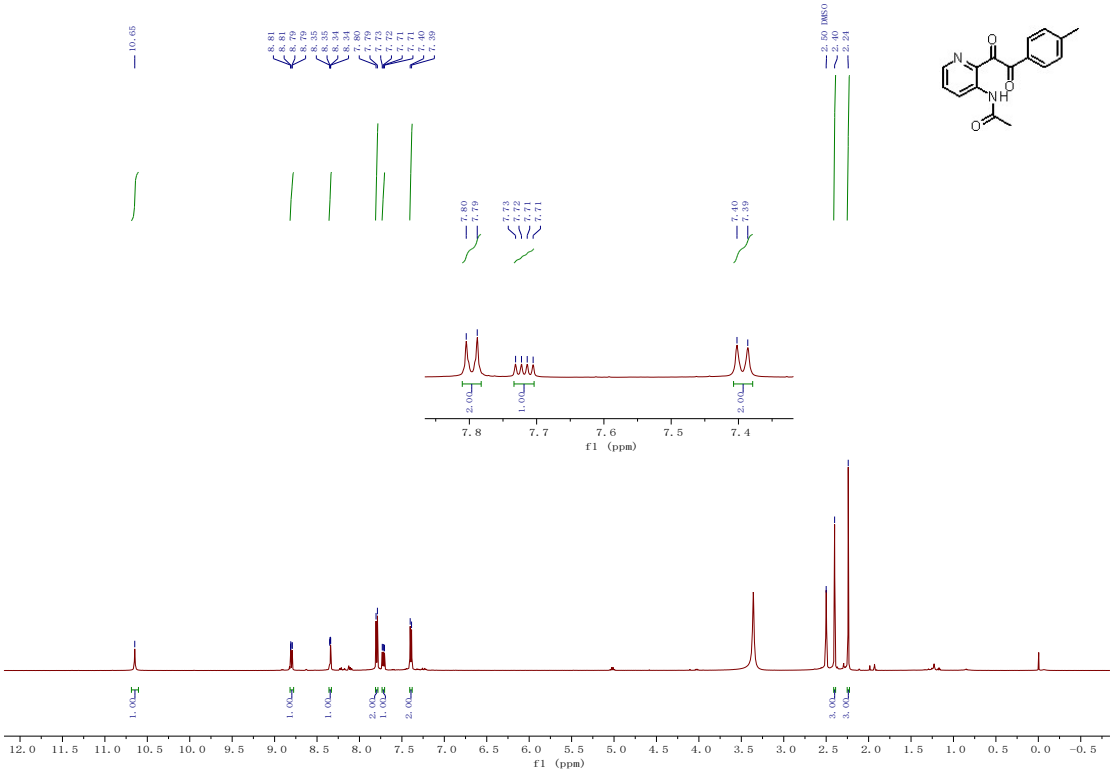


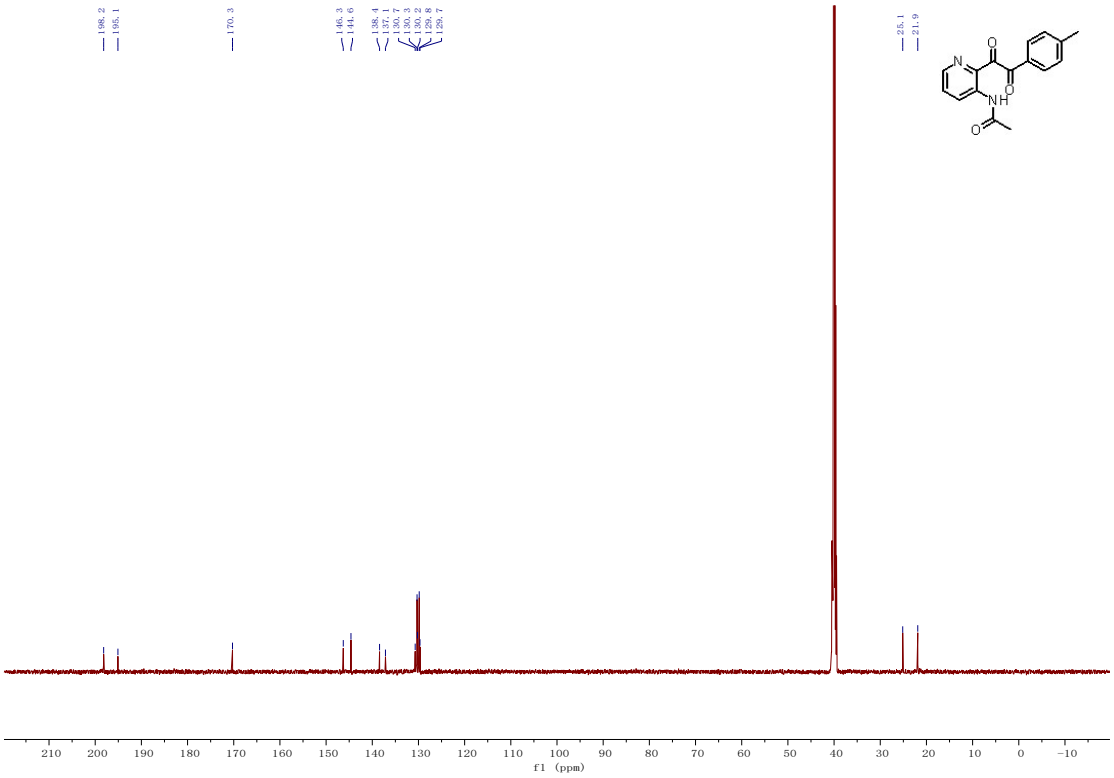
N-(5-methyl-2-(2-oxo-2-(p-tolyl)acetyl)phenyl)pivalamide (3g)



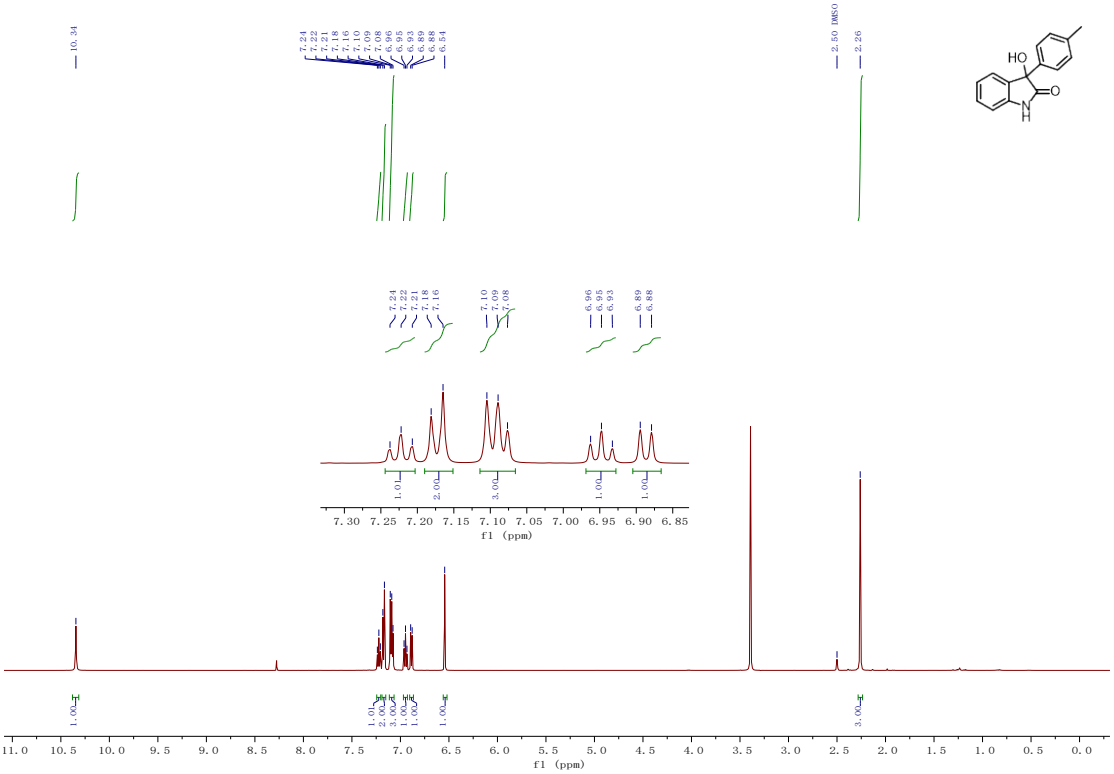


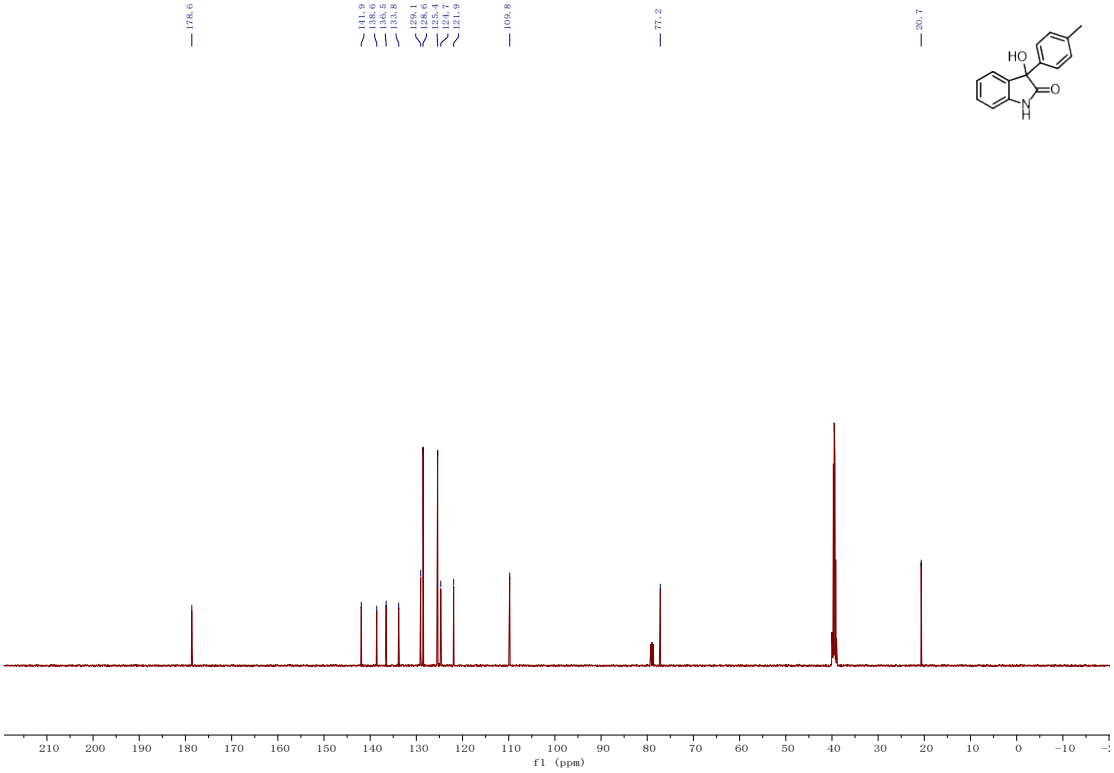
N-(2-(2-oxo-2-(p-tolyl)acetyl)pyridine-3-yl)acetamide (3h)



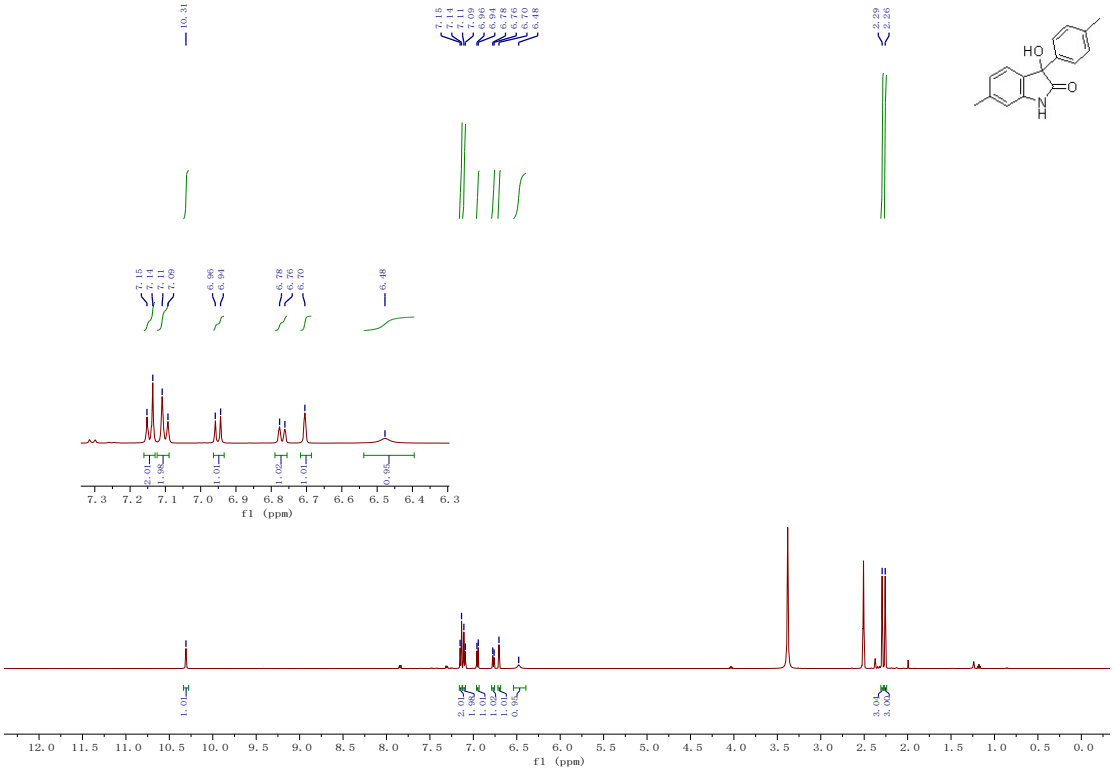


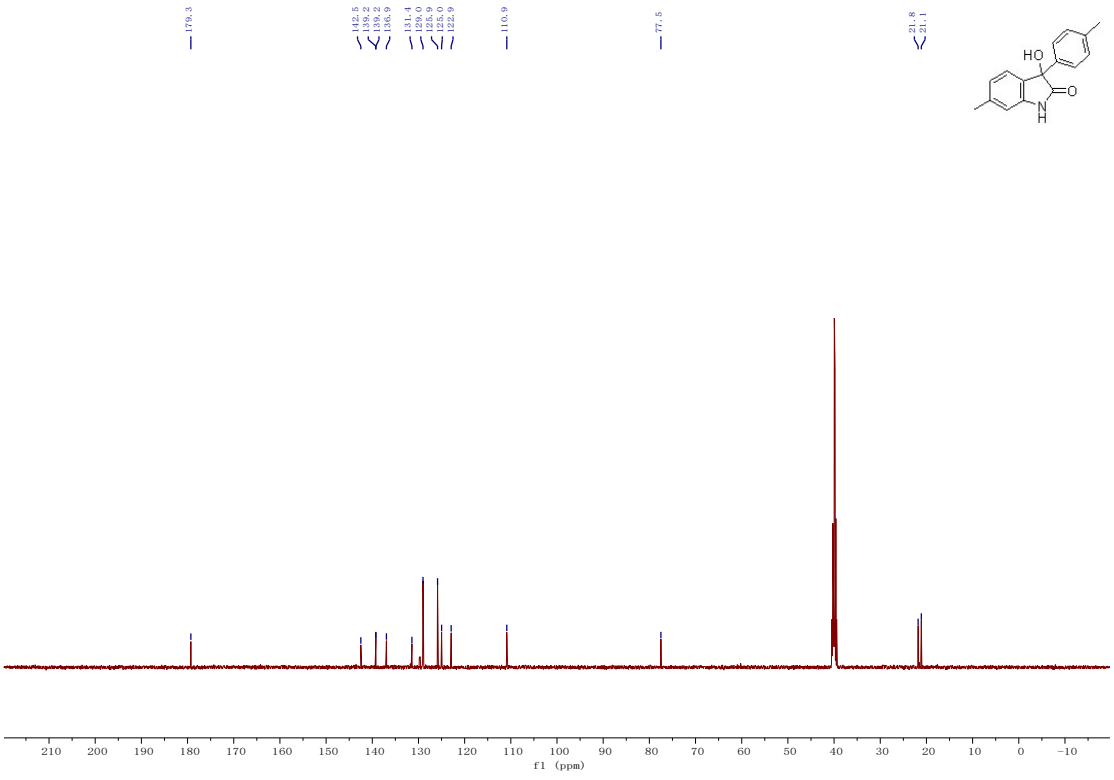
2-hydroxy-2-(p-tolyl)indolin-3-one (4a)



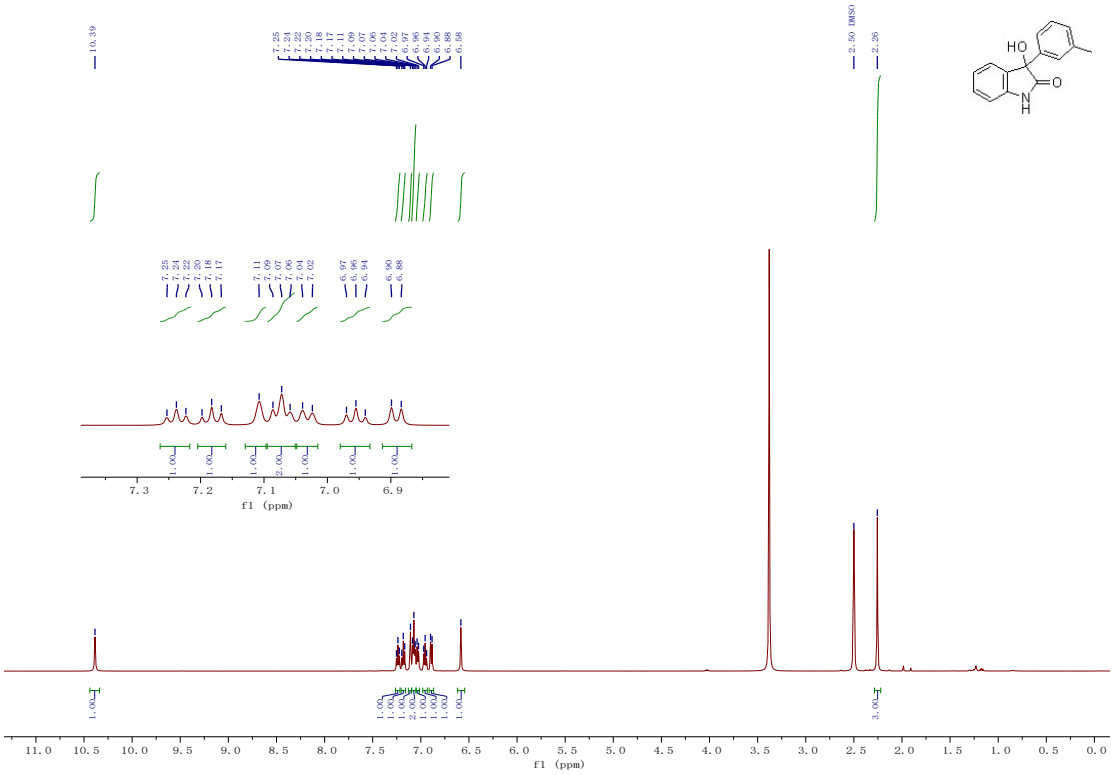


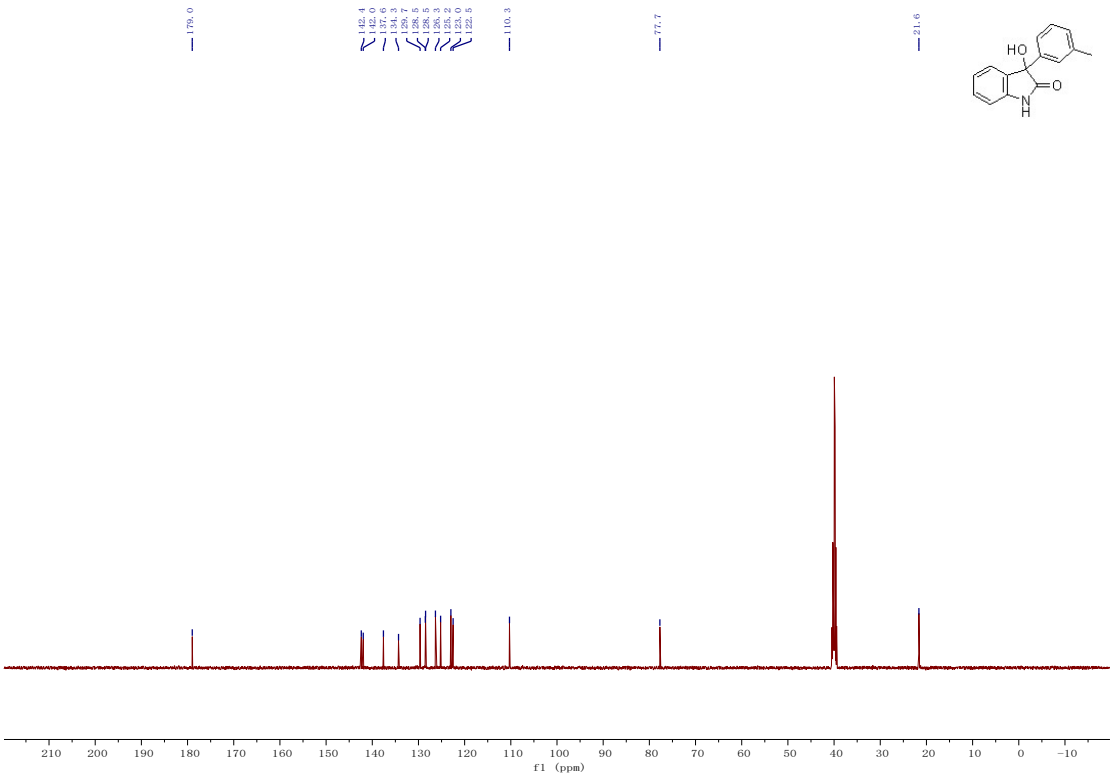
3-hydroxy-6-methyl-3-(p-tolyl)indolin-2-one (4b)



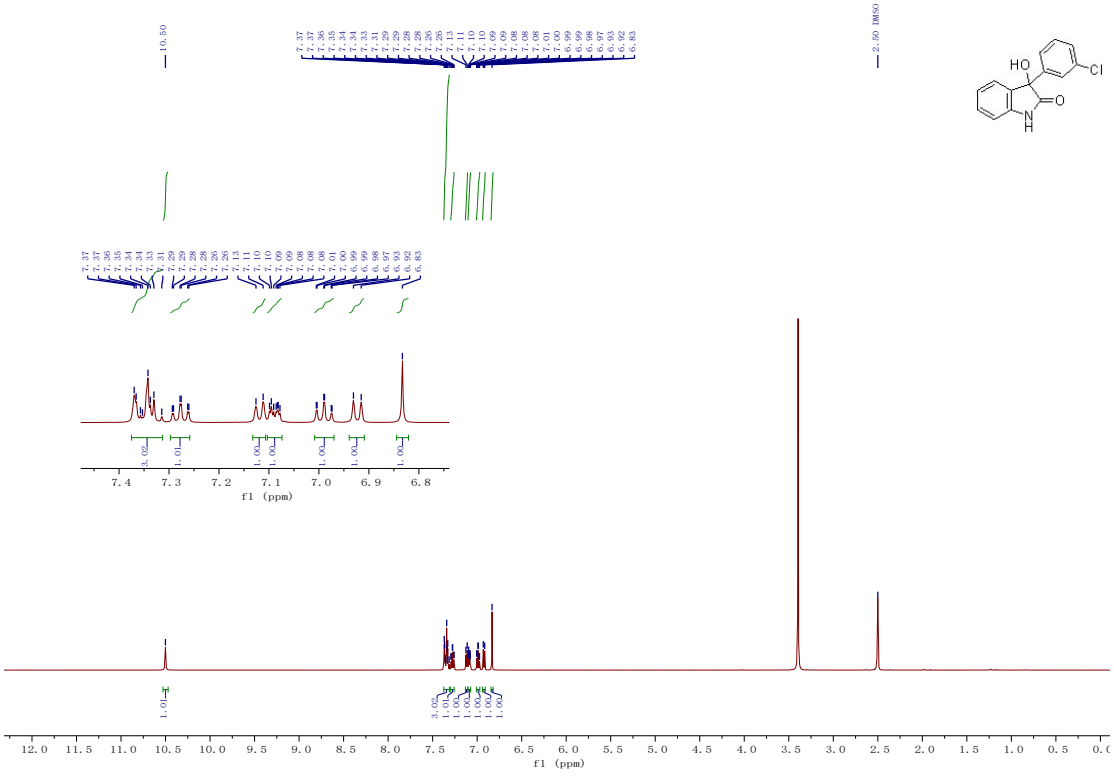


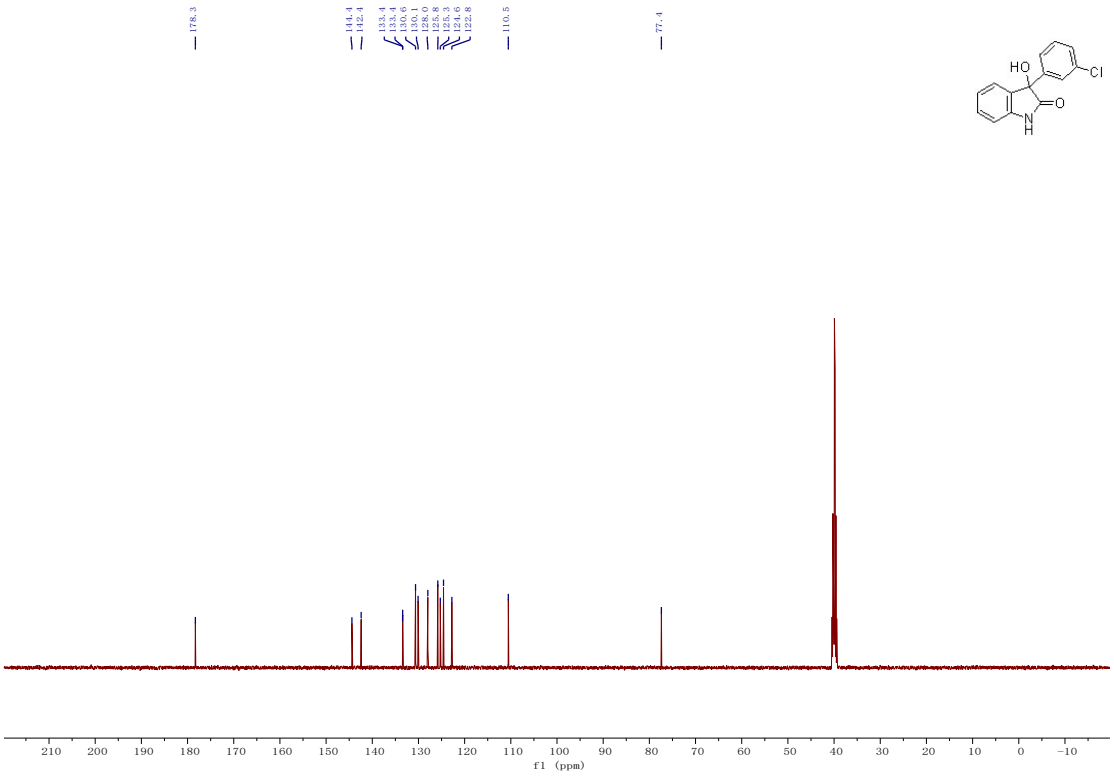
3-hydroxy-3-(m-tolyl)indolin-2-one (4c)



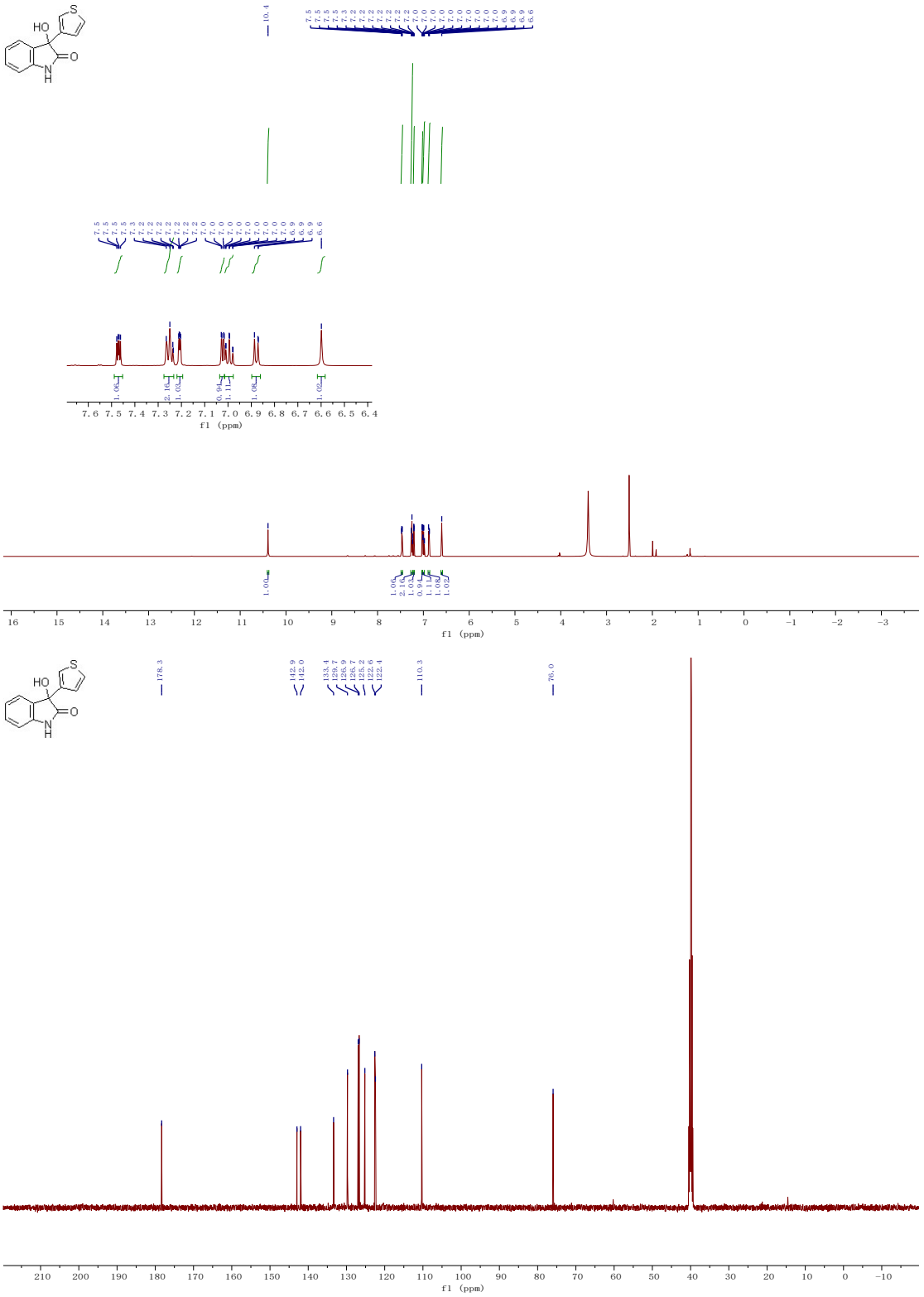


3-(3-chlorophenyl)-3-hydroxyindolin-2-one (4d)

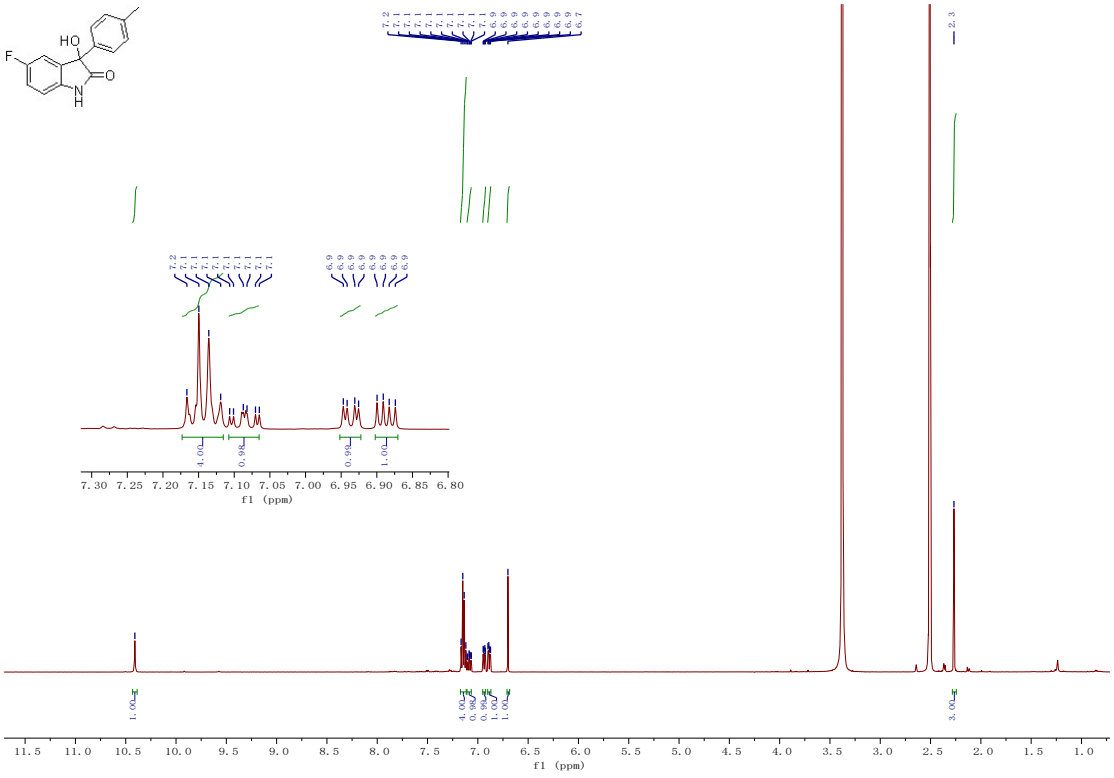


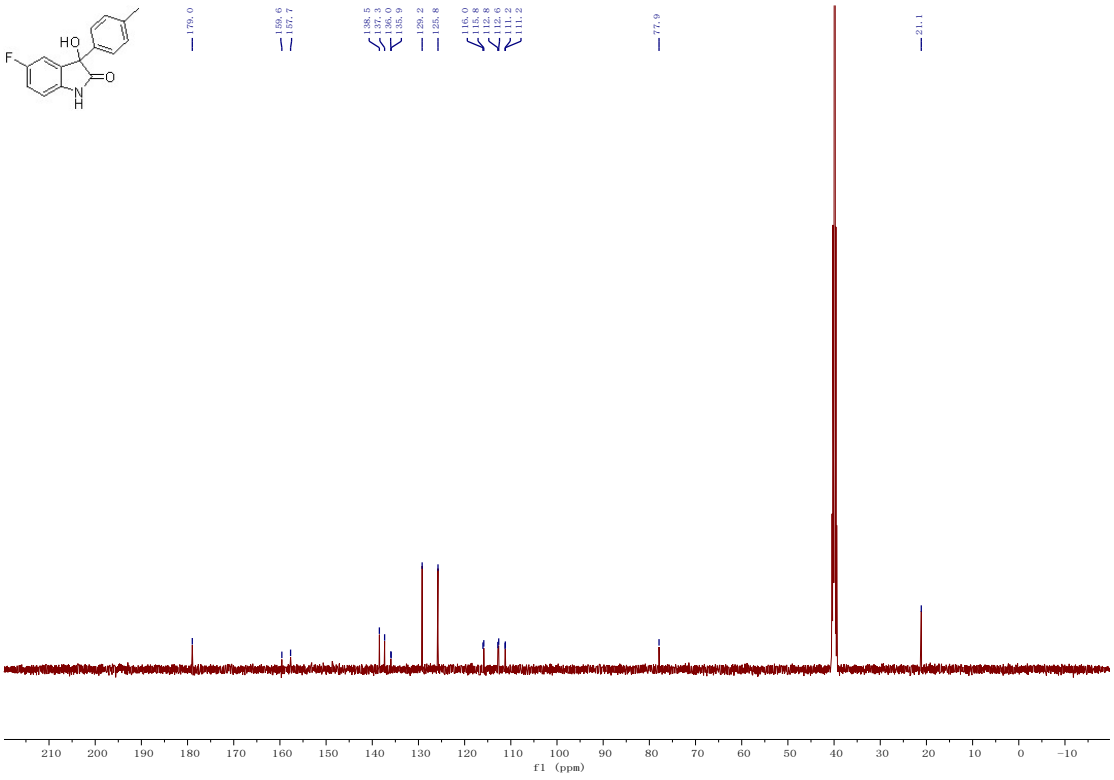


3-hydroxy-3-(thiophen-3-yl)indolin-2-one (4e)

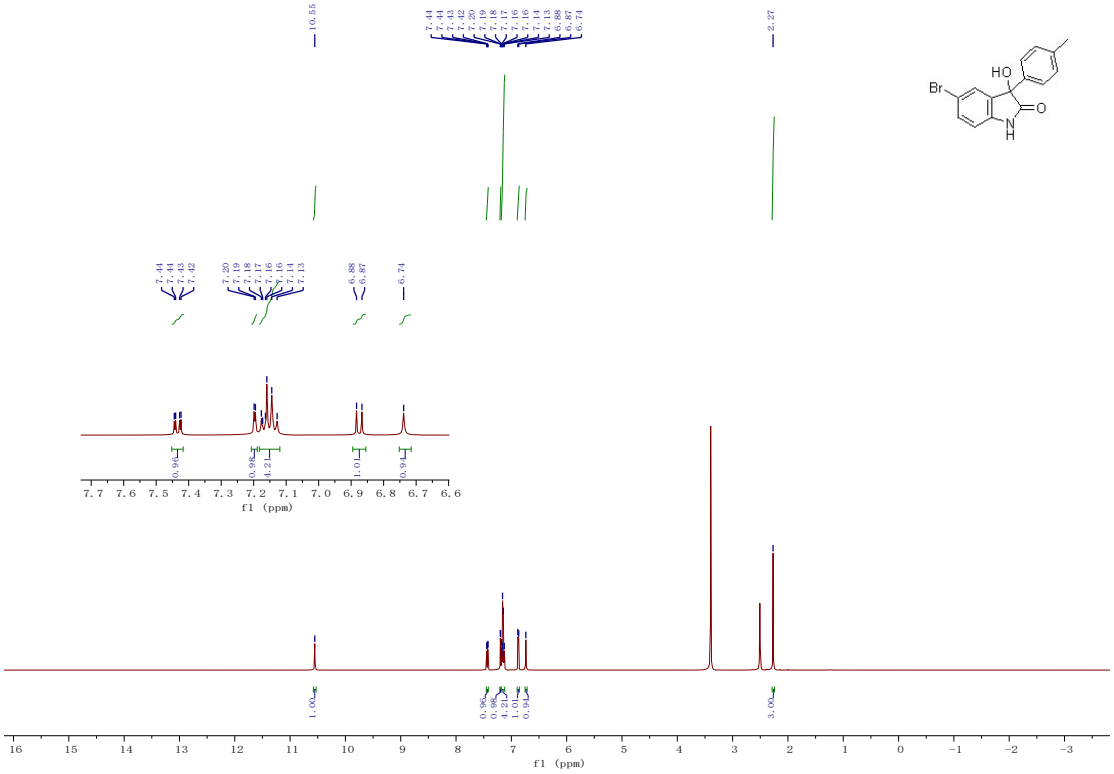


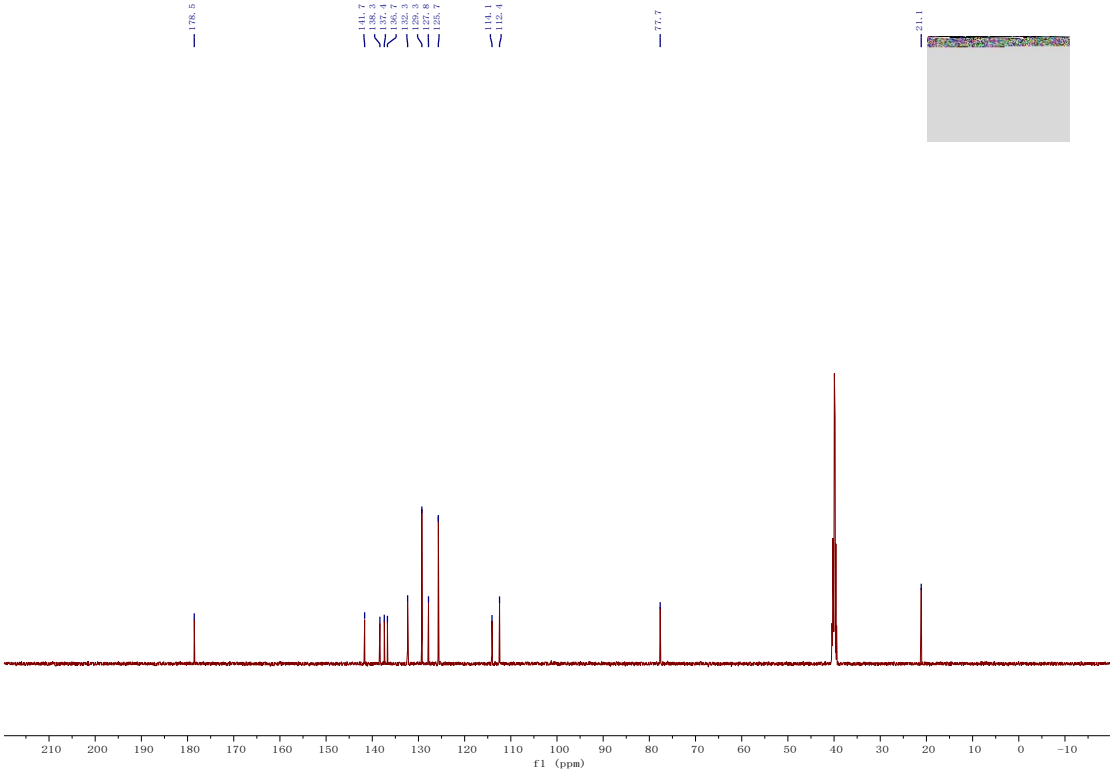
5-fluoro-3-hydroxy-3-(p-tolyl)indolin-2-one (4f)



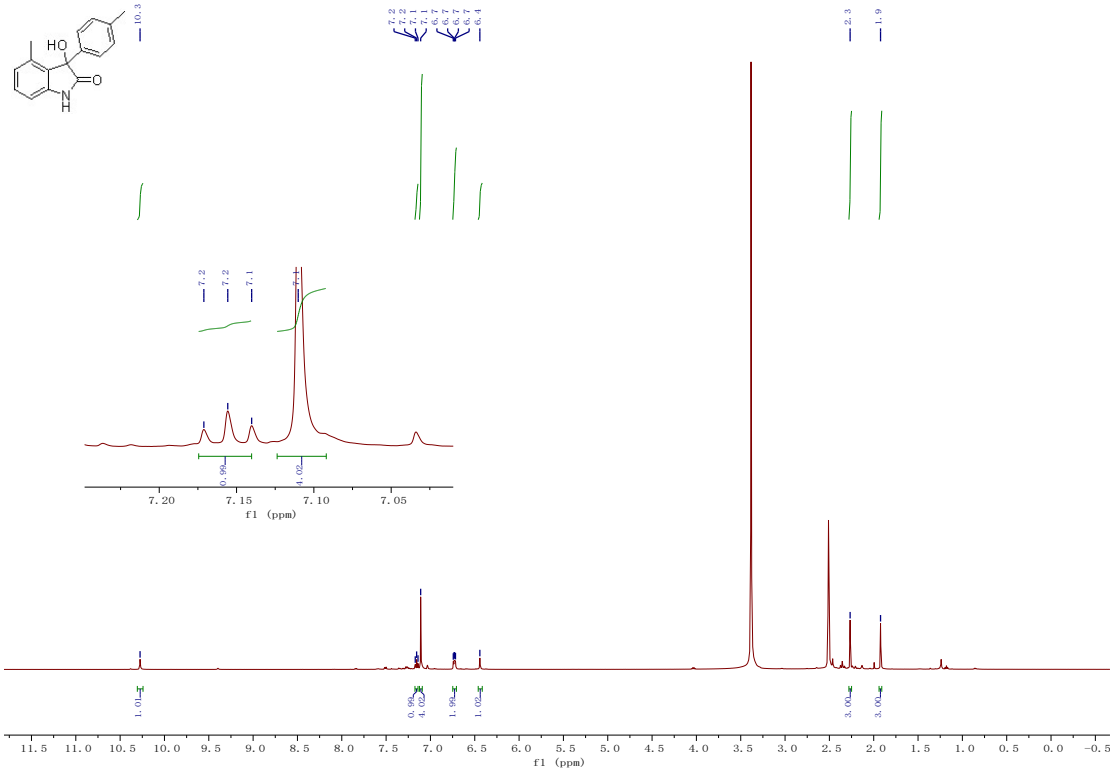


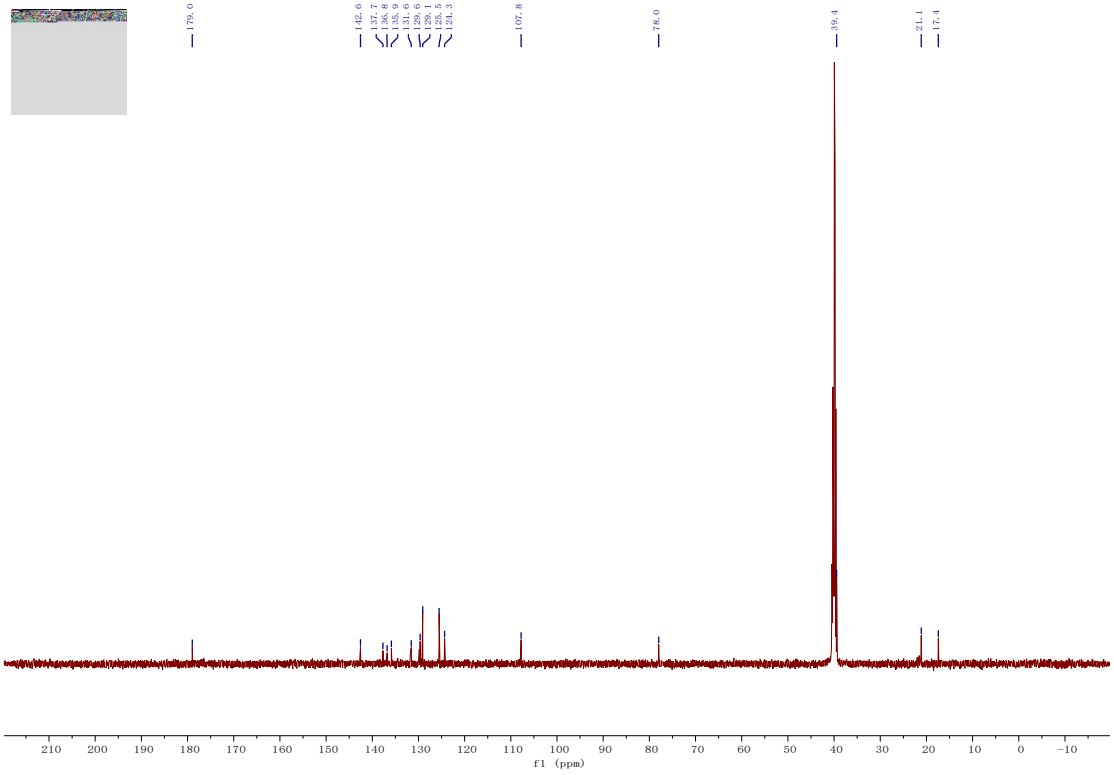
5-bromo-3-hydroxy-3-(p-tolyl)indolin-2-one (4g)



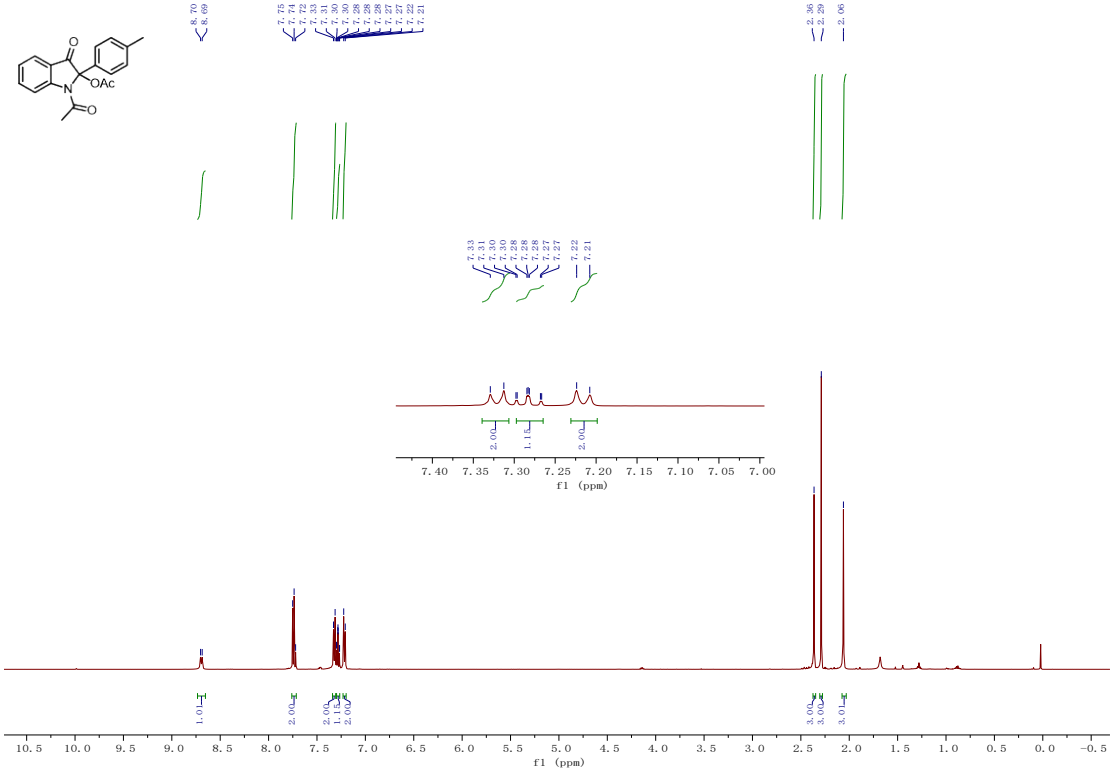


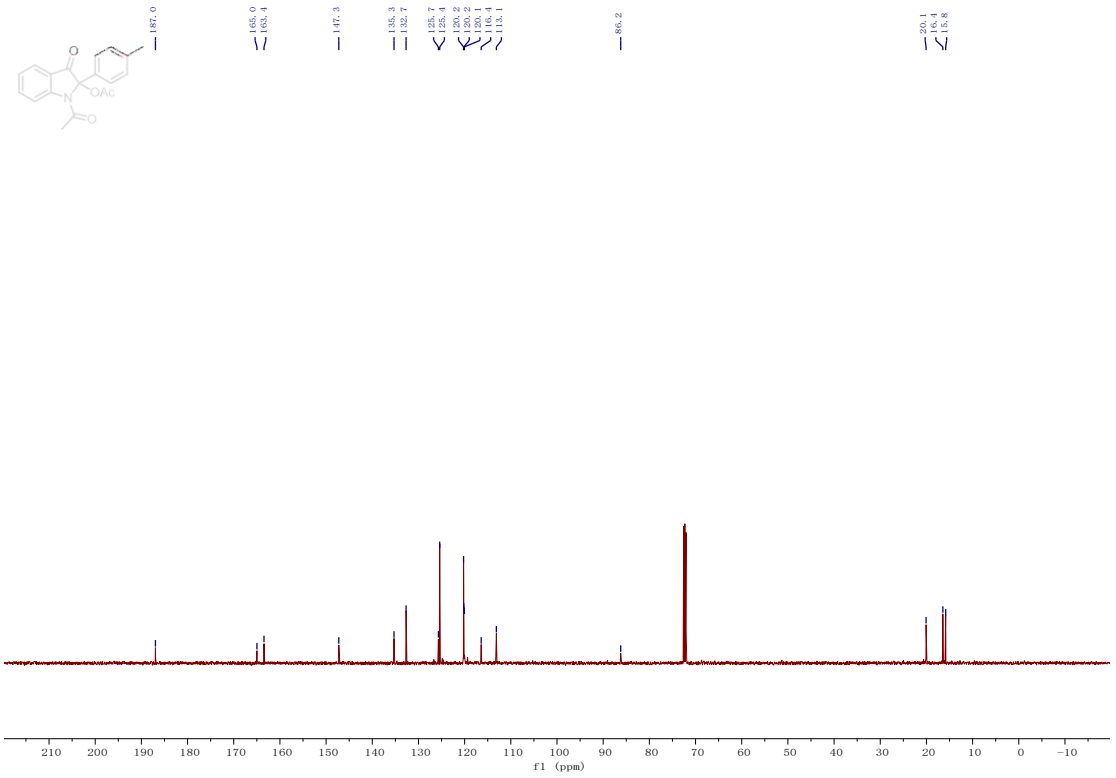
3-hydroxy-4-methyl-3-(p-tolyl)indolin-2-one (4h)



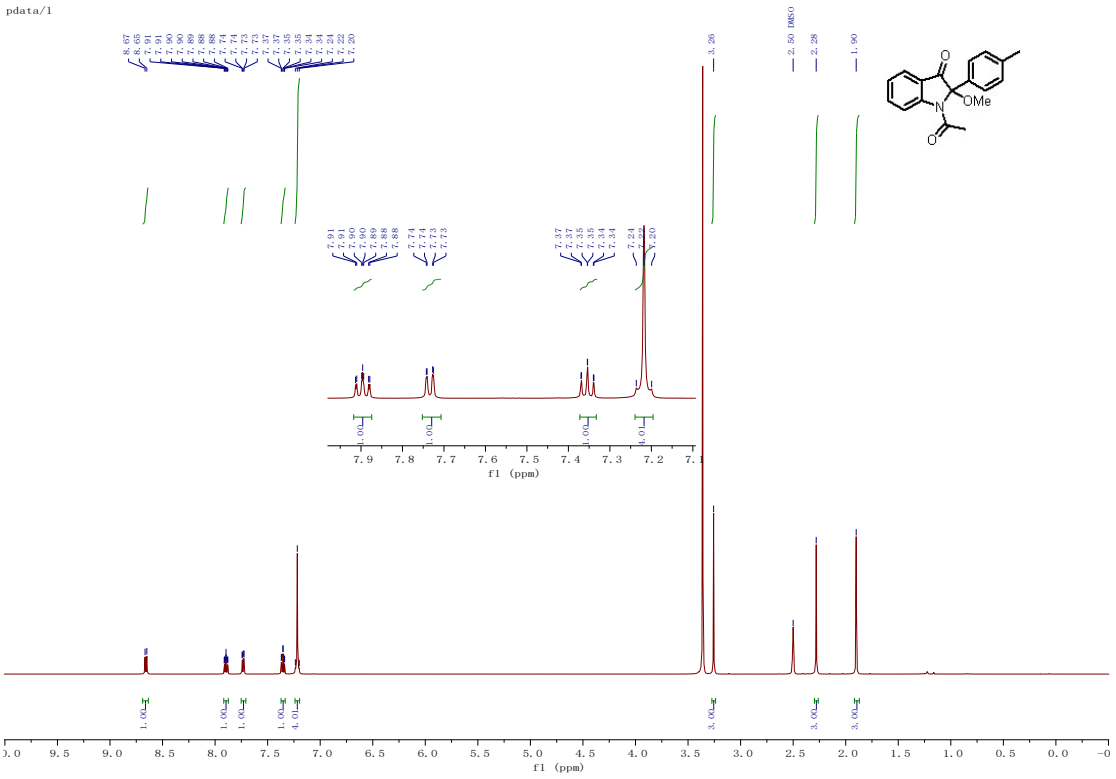


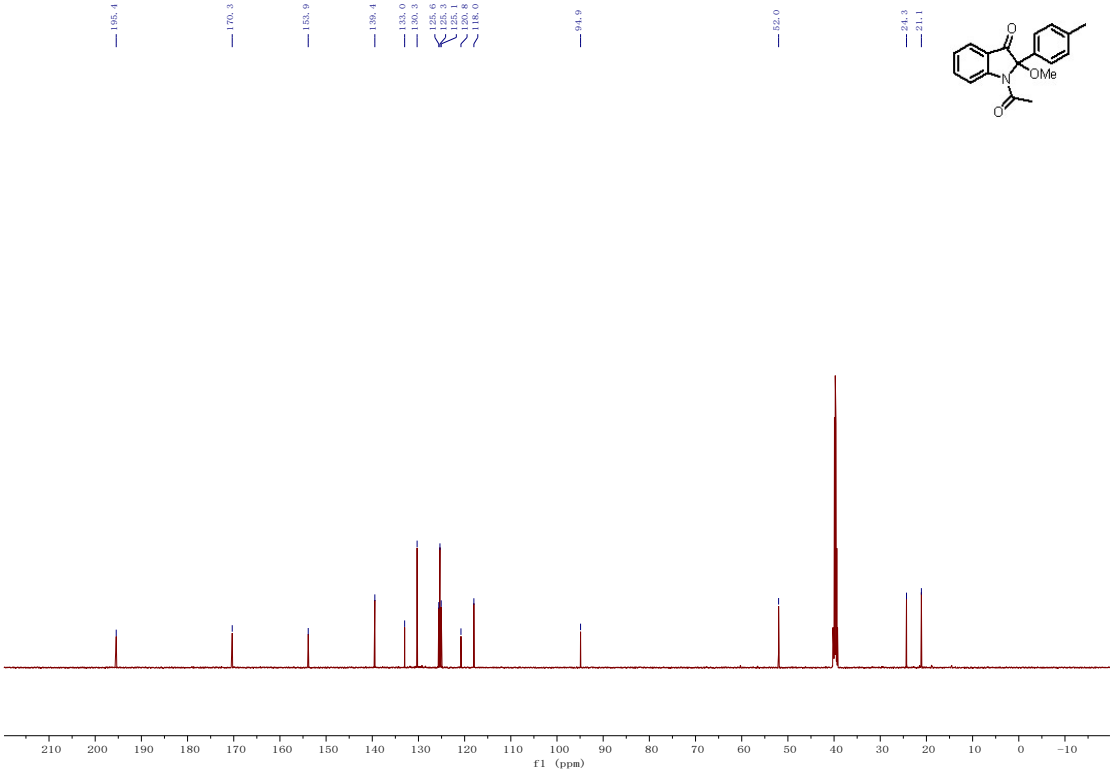
NMR Spectra of 5



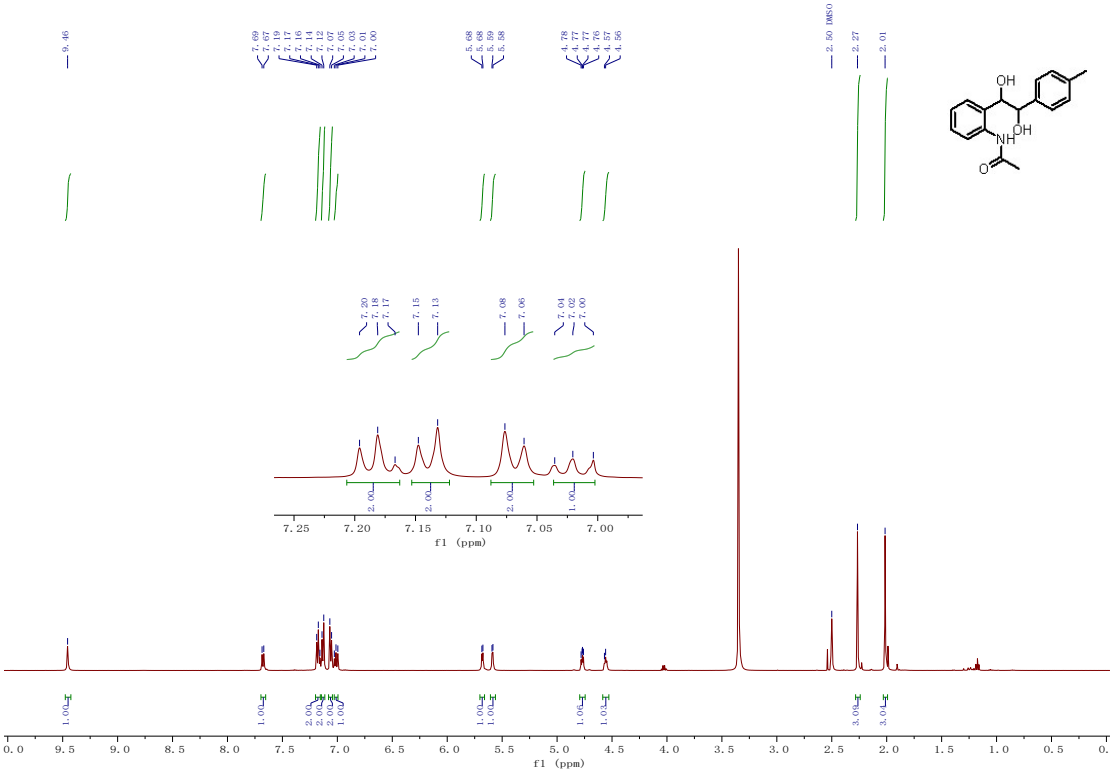


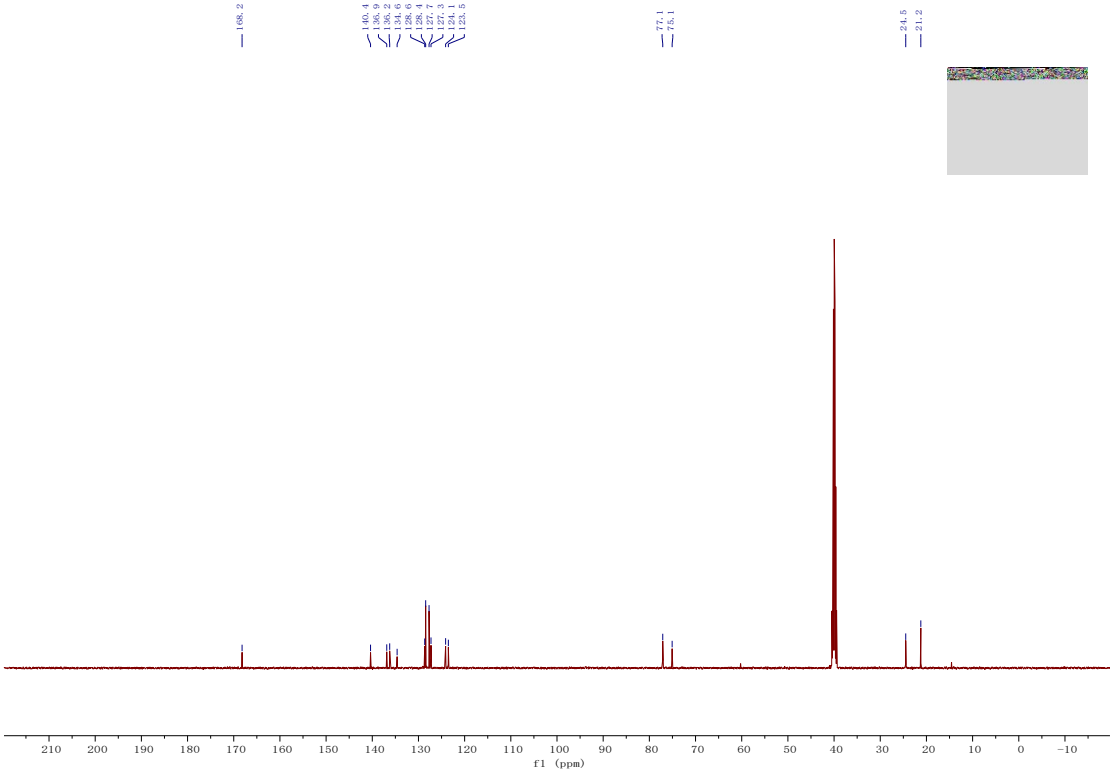
NMR Spectra of 6



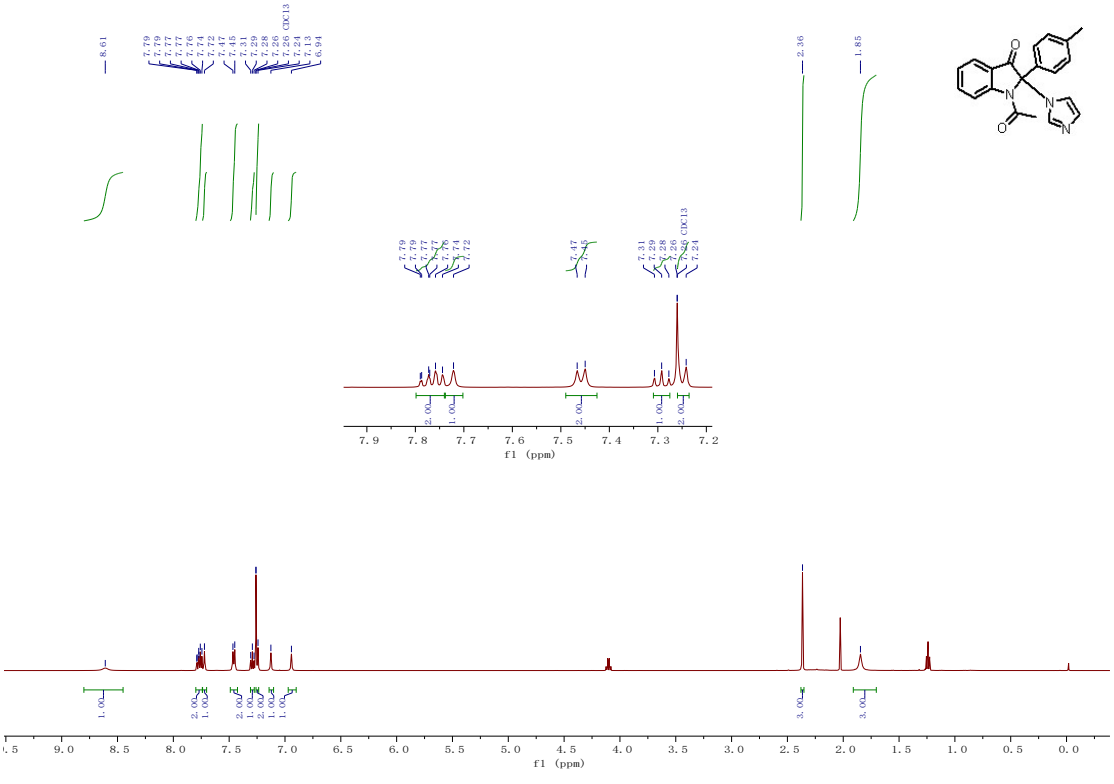


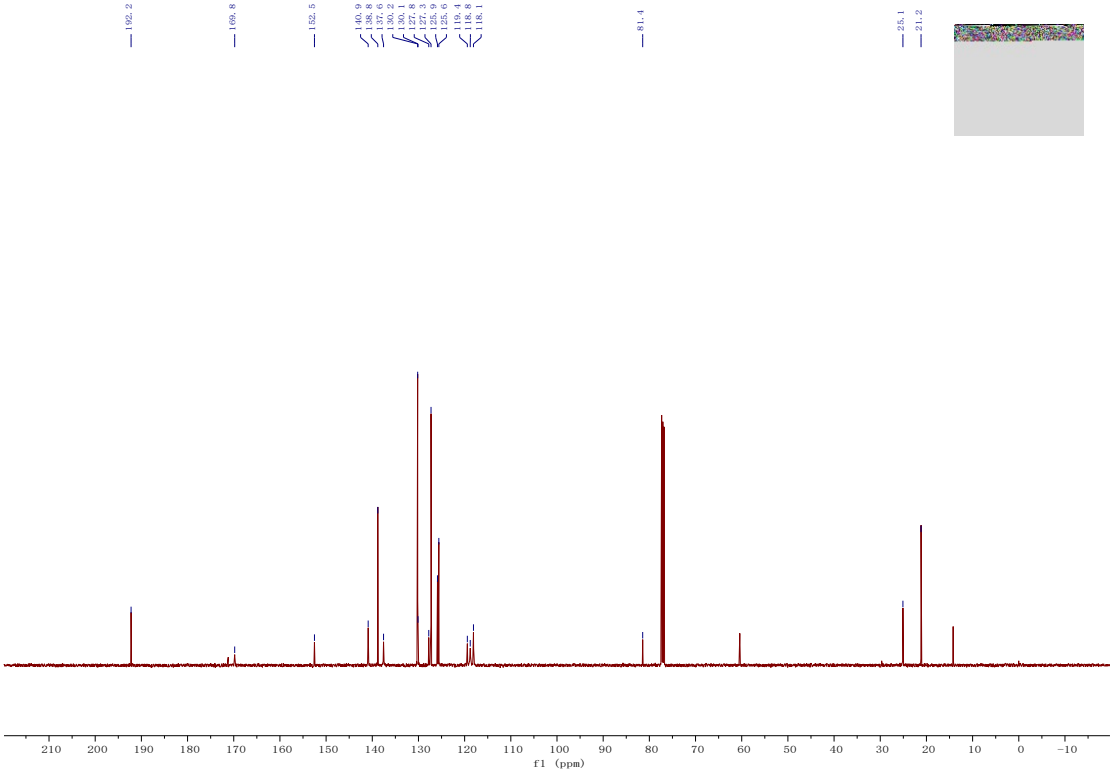
NMR Spectra of 7





NMR Spectra of 8




192.2
169.8
152.5
140.9
138.8
137.6
130.2
129.1
127.8
127.3
125.9
125.6
119.4
118.8
118.1
81.4
25.1
21.2
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)