

# Supporting Information

## Photoredox Aerobic Oxidative Cycliation of N-Arylacrylamides with Benzylalcohols

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## 1. General information

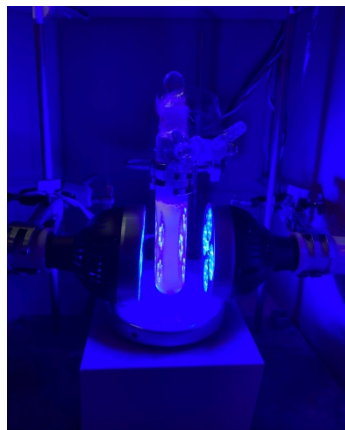
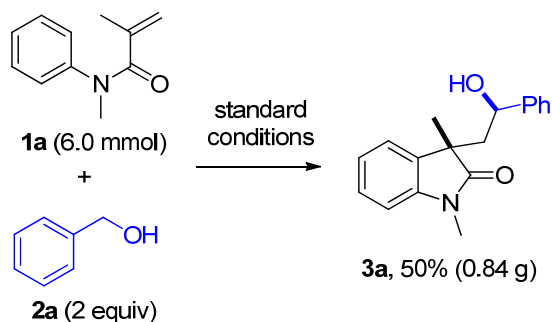
All reactions were carried out under an atmosphere of air unless otherwise noted. Column chromatography was performed using silica gel (200-300 mesh) and thin layer chromatography was performed using silica gel (GF254). <sup>1</sup>H NMR and <sup>13</sup>C NMR spectra were recorded on Bruker-AV (400 and 100 MHz, respectively) instrument using CDCl<sub>3</sub> or DMSO-*d*<sub>6</sub> as solvent. Mass spectra were measured on Agilent 5975 GC-MS instrument (EI). High-resolution mass spectra (ESI) were obtained with the Thermo Scientific LTQ Orbitrap XL mass spectrometer. The structures of known compounds were further corroborated by comparing their <sup>1</sup>H NMR, <sup>13</sup>C NMR data and HRMS data with those of literature. Melting points were measured with a YUHUA X-5 melting point instrument and were uncorrected. Reagents were used as received or prepared in our laboratory.

## 2. General procedure for aryl-hydroxyalkylation

**Standard conditions:** A 10 mL reaction vessel was charged with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35 mg, 0.2 mmol), [Ir(dF(CF<sub>3</sub>)ppy)<sub>2</sub>(dtbbpy)]PF<sub>6</sub> (2 mg, 1 mol%), LiBr (8.7 mg, 0.5 equiv), benzophenone (11 mg, 30 mol%), benzyl alcohol (**2a**, 42 μL, 2 equiv), H<sub>2</sub>O (72 μL, 20 equiv), PhCl (1 mL). The resulting mixture was stirred at 2000 RPM for 48 hours under irradiation with a 35 W blue LED. The crude reaction mixture was quenched with saturated sodium carbonate and extracted with ethyl acetate (3 × 20 mL). The extracts were combined, dried over sodium sulfate, filtered and the volatiles were removed under reduced pressure. The reaction yield was quantified by separation, and then column chromatography was performed using silica gel (200-300 mesh) or thin layer chromatography was performed using silica gel (GF254) to give product **3a**.

**Gram-scale experiment:** A 50 mL reaction vessel was charged with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 1.05 g, 6 mmol), [Ir(dF(CF<sub>3</sub>)ppy)<sub>2</sub>(dtbbpy)]PF<sub>6</sub> (66 mg, 1 mol%), LiBr (261 mg, 0.5 equiv), benzophenone (330 mg, 30 mol%), benzyl alcohol (**2a**, 1.26 mL, 2 equiv), H<sub>2</sub>O (2 mL, 20 equiv), PhCl (40 mL). The resulting mixture was stirred at 2000 RPM for 48 hours under irradiation with two 35 W blue LEDs. The crude reaction mixture was quenched with saturated sodium carbonate and extracted with ethyl acetate (3 × 30 mL). The extracts were combined, dried over sodium sulfate, filtered and the volatiles

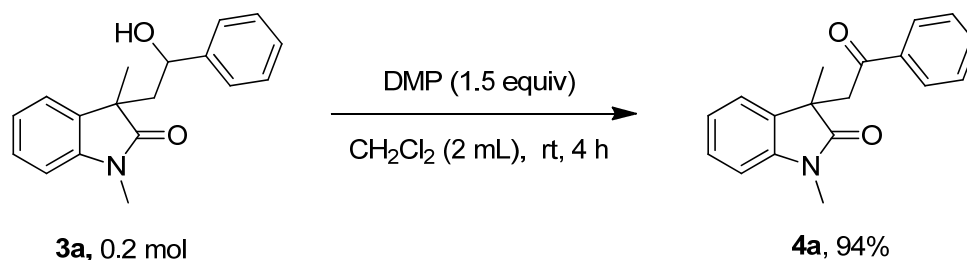
were removed under reduced pressure. The reaction yields were quantified by separation, and column chromatography was performed using silica gel (200-300 mesh) to give 0.84 g of product **3a**, yield 50%.



### 3. Late-stage modification of product **3a**

#### 3.1 Oxidation

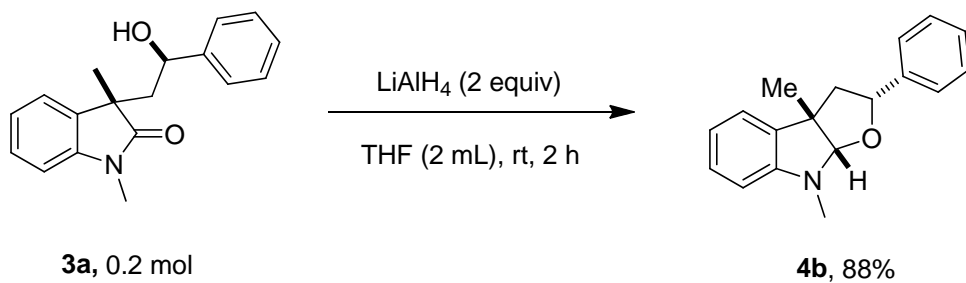
To a 10 mL reaction vessel was charged successively with **3a** (56 mg, 0.2 mmol), Dess-Martin Periodinane (DMP, 848.3 mg, 1.5 equiv) and  $\text{CH}_2\text{Cl}_2$  (2 mL). The reaction mixture was stirred at room temperature for 4 h. The reaction was monitored by TLC. The crude reaction mixture was quenched with  $\text{Na}_2\text{S}_2\text{O}_3$  (aq, 10%, 5 mL) and then NaOH (1.0 N, 2 mL) were added sequentially. Then the mixture was extracted with EtOAc. The organic solution was washed with brine, dried over sodium sulfate, and filtered. The crude material was purified by silica gel to deliver the product **4a** as a white solid (53 mg, 94%).



#### 3.2 Reduction

To a 10 mL reaction vessel was charged successively with **3a** (56 mg, 0.2 mmol),  $\text{LiAlH}_4$  (31 mg, 0.8 mmol) and dry THF (2 mL) at 0 °C. The reaction mixture was stirred gradually warming to room temperature for 2 h and then quenched by saturated

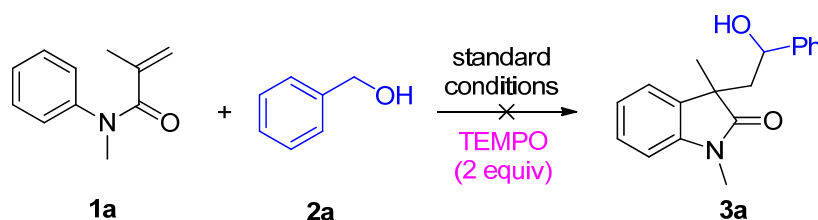
NaHCO<sub>3</sub> (5 mL) solution. The aqueous layer was extracted with EtOAc, and the combined organic layers were washed with brine, dried, and concentrated. The crude material was purified by silica gel to deliver the product **4b** as a white solid (46 mg, 88%).



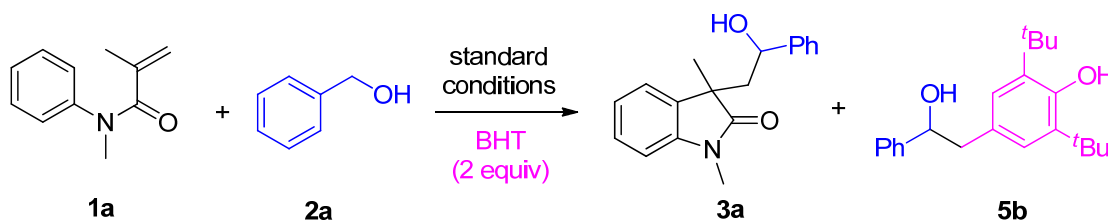
## 4. Mechanistic studies

### 4.1 Radical quenching experiment

The following reaction was carried out under standard condition: A 10 mL reaction vessel was charged with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35 mg, 0.2 mmol), [Ir(dF(CF<sub>3</sub>)ppy)<sub>2</sub>(dtbbpy)]PF<sub>6</sub> (5 mg, 1 mol%), LiBr (8.7 mg, 0.5 equiv), benzophenone (11 mg, 30 mol%), benzyl alcohol (**2a**, 42 μL, 2 equiv), H<sub>2</sub>O (72 μL, 20 equiv), 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) (63 mg, 2 equiv), PhCl (1 mL). The resulting mixture was stirred at 2000 RPM for 48 hours under irradiation with a 35 W blue LED. After completion, the consequence was detected by GC-MS and no desired product **3a** was found.



The following reaction was carried out under standard condition: A 10 mL reaction vessel was charged with *N*-methyl-*N*-phenylmethacrylamide (**1a**, 35 mg, 0.2 mmol), [Ir(dF(CF<sub>3</sub>)ppy)<sub>2</sub>(dtbbpy)]PF<sub>6</sub> (5 mg, 1 mol%), LiBr (8.7 mg, 0.5 equiv), benzophenone (11 mg, 30 mol%), benzyl alcohol (**2a**, 42 μL, 2 equiv), H<sub>2</sub>O (72 μL, 20 equiv), Butylated hydroxytoluene (BHT) (88 mg, 2 equiv), PhCl (1 mL). The resulting mixture was stirred at 2000 RPM for 48 hours under irradiation with a 35 W blue LED. After completion, the consequence was detected by GC-MS and desired product **3a** was detected in a trace amount.



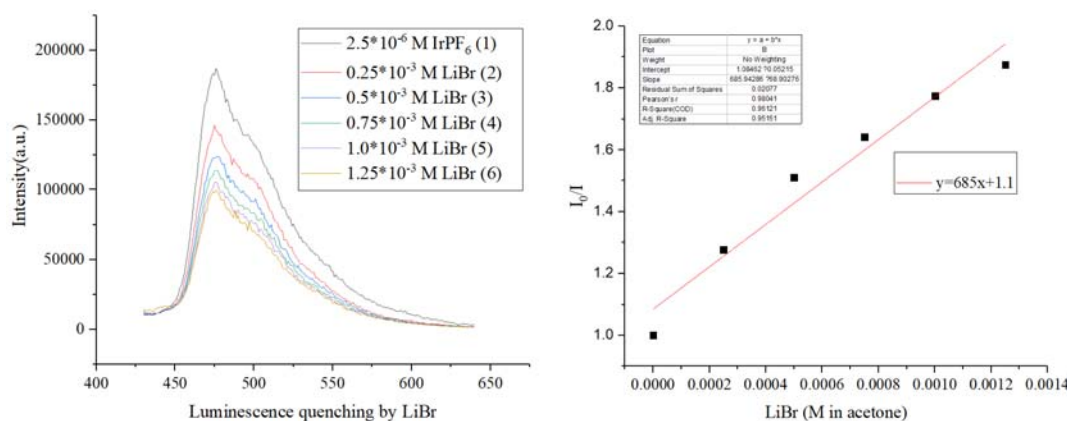
### 4.2. Stern–Volmer fluorescence quenching

**Formulation solution:** *N*-methyl-*N*-phenylmethacrylamide (437 mg) was dissolved

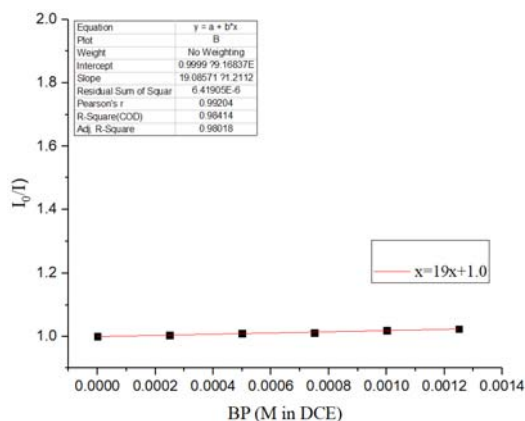
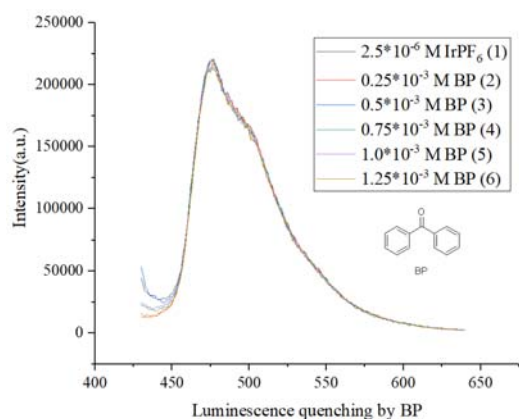
in DCE in a 25 mL volumetric flask to set the concentration to be 0.1 M. Benzyl alcohol (540 mg) was dissolved in DCE in a 25 mL volumetric flask to set the concentration to be 0.1 M.  $[\text{Ir}(\text{dF}(\text{CF}_3)\text{ppy})_2(\text{dtbbpy})]\text{PF}_6$  (2.5 mg) was dissolved in DCE in a 25 mL volumetric flask to set the concentration to be 0.1 mM. LiBr (109 mg) was dissolved in acetone in a 25 mL volumetric flask to set the concentration to be 0.05 M. Benzophenone (232 mg) was dissolved in DCE in a 25 mL volumetric flask to set the concentration to be 0.05 M

**Experimental procedure:** The resulting 0.1 mM solution (50  $\mu\text{L}$ ) was added to cuvette to obtain different concentrations of catalyst solution. This solution was then diluted to a volume of 2 mL by adding further solvent (acetone) to prepare a 2.5  $\mu\text{M}$  solution. The resulting mixture was irradiated at 425 nm. Fluorescence emission spectra were recorded (3 trials per sample). Into this solution, 10.0  $\mu\text{L}$  of a LiBr solution was successively added and uniformly stirred, and the resulting mixture was irradiated at 425 nm. Fluorescence emission spectra of 0  $\mu\text{L}$ , 10.0  $\mu\text{L}$ , 20.0  $\mu\text{L}$ , 30.0  $\mu\text{L}$ , 40.0  $\mu\text{L}$ , 50.0  $\mu\text{L}$  fluorescence intensity. Follow this method and make changes to the amount to obtain the Stern–Volmer relationship in turn.

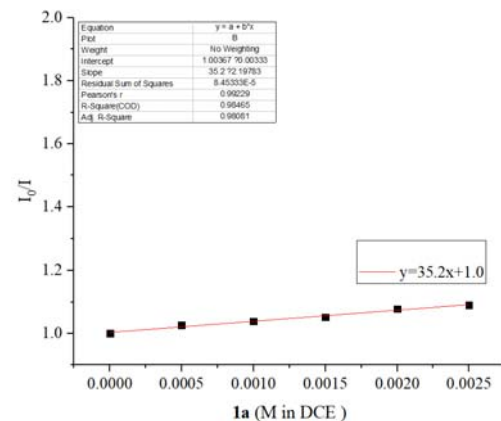
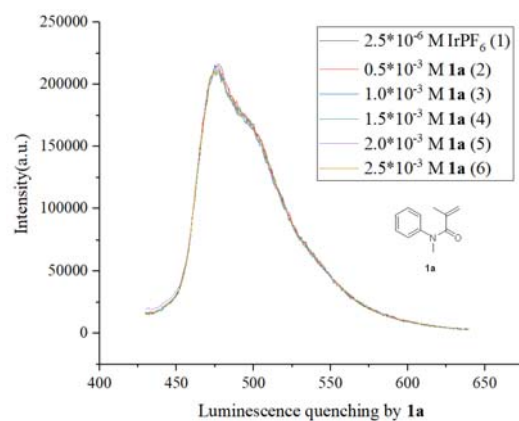
(a)  $[\text{Ir}(\text{dF}(\text{CF}_3)\text{ppy})_2(\text{dtbbpy})]\text{PF}_6$  quenched by LiBr.



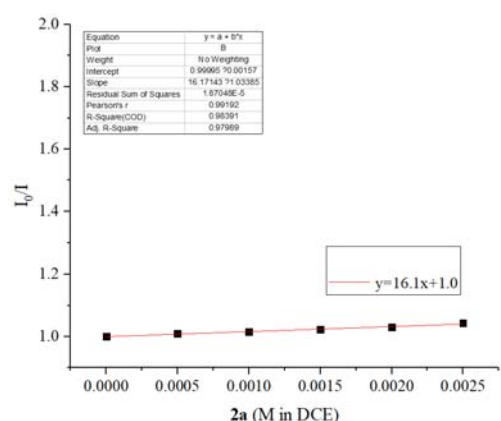
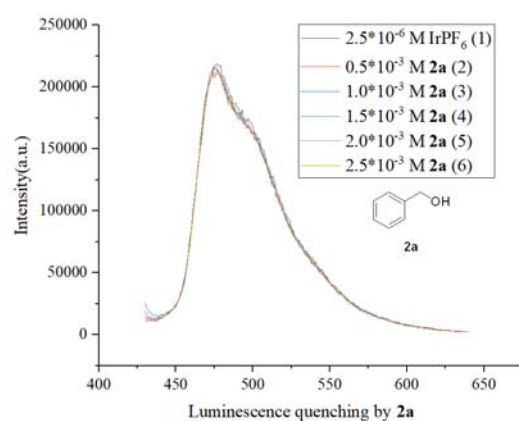
(b)  $[\text{Ir}(\text{dF}(\text{CF}_3)\text{ppy})_2(\text{dtbbpy})]\text{PF}_6$  quenched by benzophenone. Linear quenching is not observed.



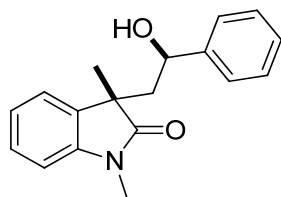
(c)  $[\text{Ir}(\text{dF}(\text{CF}_3)\text{ppy})_2(\text{dtbbpy})]\text{PF}_6$  quenched by *N*-methyl-*N*-phenylmethacrylamide. Linear quenching is not observed.



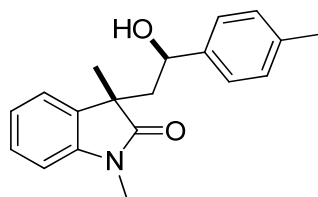
(d)  $[\text{Ir}(\text{dF}(\text{CF}_3)\text{ppy})_2(\text{dtbbpy})]\text{PF}_6$  quenched by benzyl alcohol. Linear quenching is not observed.



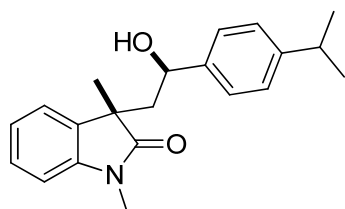
## 5. Characterization data of products



3-(2-hydroxy-2-phenylethyl)-1,3-dimethylindolin-2-one (**3a**).<sup>[1]</sup> As a white solid. Mp: 102 – 103 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 – 7.20 (m, 6H), 7.18 (d, *J* = 7.8 Hz, 1H), 7.07 (t, *J* = 7.5 Hz, 1H), 6.82 (d, *J* = 7.8 Hz, 1H), 4.94 – 4.85 (m, 1H), 4.07 (s, 1H), 3.11 (s, 3H), 2.27 – 2.18 (m, 1H), 2.15 – 2.03 (m, 1H), 1.51 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.4, 143.9, 142.4, 134.4, 128.1, 128.0, 127.4, 126.0, 122.9, 122.5, 108.4, 71.4, 47.3, 46.3, 26.2, 23.3. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>19</sub>NO<sub>2</sub>Na<sup>+</sup> (*M*+Na)<sup>+</sup>: 304.1308; found: 314.1315.



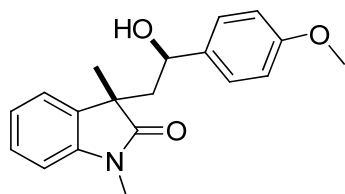
3-(2-hydroxy-2-(p-tolyl)ethyl)-1,3-dimethylindolin-2-one (**3b**). As a white solid. Mp: 108 – 110 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 – 7.25 (m, 1H), 7.18 (d, *J* = 7.2 Hz, 1H), 7.15 – 7.05 (m, 5H), 6.83 (d, *J* = 7.8 Hz, 1H), 4.88 – 4.85 (m, 1H), 4.00 (s, 1H), 3.11 (s, 3H), 2.31 (s, 3H), 2.23 – 2.18 (m, 1H), 2.12 – 2.06 (m, 1H), 1.51 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.4, 142.4, 140.9, 137.0, 134.5, 128.8, 128.0, 125.9, 122.9, 122.5, 108.3, 71.2, 47.3, 46.3, 26.2, 23.3, 21.1. HRMS (ESI) *m/z* calculated for C<sub>19</sub>H<sub>21</sub>NO<sub>2</sub>Na<sup>+</sup> (*M*+Na)<sup>+</sup>: 318.1465; found: 318.1478.



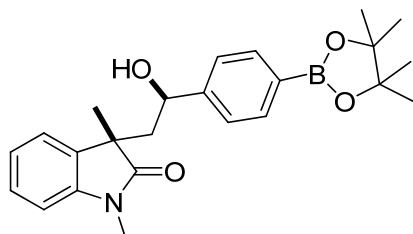
3-(2-hydroxy-2-(4-isopropylphenyl)ethyl)-1,3-dimethylindolin-2-one (**3c**). As a white solid. Mp: 109 – 110 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 7.37 (d, *J* = 7.2 Hz, 1H), 7.28 (t, *J* = 7.2 Hz, 1H), 7.07 (t, *J* = 7.4 Hz, 1H), 7.01 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 7.7 Hz, 1H), 6.71 (d, *J* = 8.0 Hz, 2H), 4.96 (d, *J* = 4.1 Hz, 1H), 4.10 – 4.06 (m,



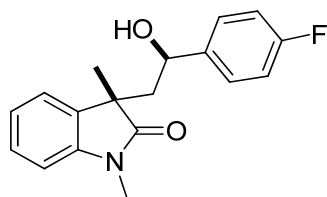
1H), 2.86 – 2.75 (m, 1H), 2.68 (s, 3H), 2.28 – 2.23 (m, 1H), 2.16 – 2.11 (m, 1H), 1.22 (s, 3H), 1.16 – 1.14 (m, 6H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.4, 148.1, 142.5, 141.2, 134.5, 128.0, 126.2, 126.0, 122.9, 122.6, 108.3, 71.3, 47.3, 46.2, 33.8, 26.2, 24.0, 23.9, 23.4. HRMS (ESI) m/z calculated for C<sub>21</sub>H<sub>25</sub>NO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 346.1778; found: 346.1789.



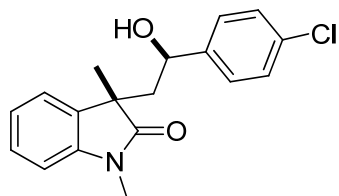
3-(2-hydroxy-2-(4-methoxyphenyl)ethyl)-1,3-dimethylindolin-2-one (**3d**).<sup>[1]</sup> As a white solid. Mp: 120 – 122 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.30 – 7.25 (m, 1H), 7.20 – 7.17 (m, 1H), 7.13 (d, *J* = 8.6 Hz, 2H), 7.10 – 7.06 (m, 1H), 6.84 – 6.78 (m, 3H), 4.82 – 4.79 (m, 1H), 3.87 (s, 1H), 3.77 (s, 3H), 3.08 (s, 3H), 2.24 – 2.19 (m, 1H), 2.13 – 2.07 (m, 1H), 1.49 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.4, 158.9, 142.5, 136.0, 134.4, 128.0, 127.2, 122.8, 122.5, 113.5, 108.3, 71.0, 55.2, 47.2, 46.2, 26.2, 23.4. HRMS (ESI) m/z calculated for C<sub>19</sub>H<sub>21</sub>NO<sub>3</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 334.1414; found: 334.1426.



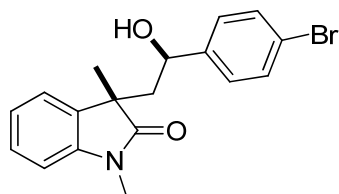
3-(2-hydroxy-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl)-1,3-dimethylindolin-2-one (**3e**). As a white solid. Mp: 130 – 132 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.75 (d, *J* = 8.0 Hz, 2H), 7.32 – 7.27 (m, 3H), 7.17 (d, *J* = 6.7 Hz, 1H), 7.07 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* = 7.7 Hz, 1H), 5.01 – 4.96 (m, 1H), 4.29 (s, 1H), 3.16 (s, 3H), 2.20 – 2.13 (m, 1H), 2.08 – 1.99 (m, 1H), 1.54 (s, 3H), 1.33 (s, 12H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.6, 147.3, 142.4, 134.8, 134.6, 128.1, 125.2, 123.0, 122.5, 108.4, 83.7, 71.3, 47.4, 46.4, 26.3, 24.8, 23.1. HRMS (ESI) m/z calculated for C<sub>24</sub>H<sub>30</sub>BNO<sub>4</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 430.2160; found: 430.2185.



3-(2-(4-fluorophenyl)-2-hydroxyethyl)-1,3-dimethylindolin-2-one (**3f**).<sup>[1]</sup> As a white solid. Mp: 100 – 101 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 – 7.24 (m, 1H), 7.22 – 7.14 (m, 3H), 7.08 (t, *J* = 7.2 Hz, 1H), 6.98 – 6.91 (m, 2H), 6.83 (d, *J* = 7.8 Hz, 1H), 4.89 – 4.86 (m, 1H), 4.24 (s, 1H), 3.11 (s, 3H), 2.19 – 2.15 (m, 1H), 2.08 – 2.02 (m, 1H), 1.50 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.4, 162.0 (d, *J*<sub>C-F</sub> = 246 Hz), 142.4, 139.6 (d, *J*<sub>C-F</sub> = 3 Hz), 134.3, 128.1, 127.6 (d, *J*<sub>C-F</sub> = 9 Hz), 123.0, 122.5, 114.8 (d, *J*<sub>C-F</sub> = 21 Hz), 108.4, 70.7, 47.2, 46.2, 26.3, 23.3. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>FNO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 322.1214; found: 322.1224.

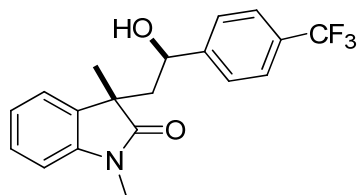


3-(2-(4-chlorophenyl)-2-hydroxyethyl)-1,3-dimethylindolin-2-one (**3g**).<sup>[1]</sup> As a white solid. Mp: 108 – 110 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.29 (t, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 8.5 Hz, 2H), 7.17 (d, *J* = 8.7 Hz, 3H), 7.08 (t, *J* = 7.4 Hz, 1H), 6.84 (d, *J* = 7.8 Hz, 1H), 4.94 – 4.86 (m, 1H), 4.31 (s, 1H), 3.14 (s, 3H), 2.21 – 2.12 (m, 1H), 2.08 – 1.98 (m, 1H), 1.51 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.4, 142.5, 142.4, 134.3, 132.9, 128.2, 128.2, 127.4, 123.1, 122.5, 108.5, 70.72, 47.3, 46.2, 26.3, 23.2. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>ClNO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 338.0918; found: 338.0937.

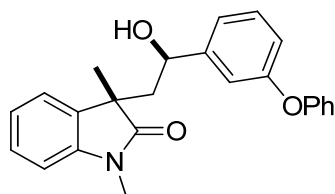


3-(2-(4-bromophenyl)-2-hydroxyethyl)-1,3-dimethylindolin-2-one (**3h**).<sup>[1]</sup> As a white solid. Mp: 118 – 121 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.41 – 7.37 (m, 2H), 7.31 – 7.26 (m, 1H), 7.18 – 7.06 (m, 4H), 6.84 (d, *J* = 7.8 Hz, 1H), 4.90 – 4.87 (m, 1H), 4.32 (s, 1H), 3.13 (s, 3H), 2.18 – 2.13 (m, 1H), 2.05 – 2.99 (m, 1H), 1.51 (s, 3H).

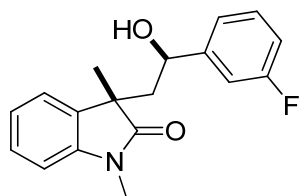
$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.4, 143.0, 142.3, 134.3, 131.2, 128.2, 127.7, 123.1, 122.5, 121.1, 108.5, 70.8, 47.3, 46.2, 26.3, 23.2. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{18}\text{H}_{18}\text{BrNO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 382.0413; found: 382.0427.



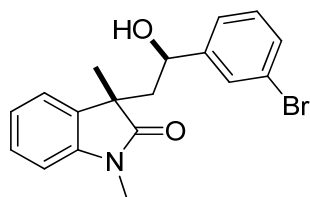
3-(2-hydroxy-2-(4-(trifluoromethyl)phenyl)ethyl)-1,3-dimethylindolin-2-one (**3i**). As a white solid. Mp: 109 – 112 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.54 (d,  $J = 8.2$  Hz, 2H), 7.39 (d,  $J = 8.1$  Hz, 2H), 7.29 (t,  $J = 8.2$  Hz, 1H), 7.16 (d,  $J = 7.2$  Hz, 1H), 7.08 (t,  $J = 7.5$  Hz, 1H), 6.85 (d,  $J = 7.8$  Hz, 1H), 5.08 – 5.00 (m, 1H), 4.58 (s, 1H), 3.16 (s, 3H), 2.21 – 2.12 (m, 1H), 2.07 – 1.96 (m, 1H), 1.54 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.6, 148.0, 142.3, 134.3, 129.4 (q,  $J_{\text{C-F}} = 32$  Hz), 128.3, 126.3, 125.1 (q,  $J_{\text{C-F}} = 4$  Hz), 124.1 (q,  $J_{\text{C-F}} = 270$  Hz), 123.2, 122.5, 108.6, 70.8, 47.4, 46.2, 26.4, 23.1. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{18}\text{F}_3\text{NO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 372.1182; found: 372.1195.



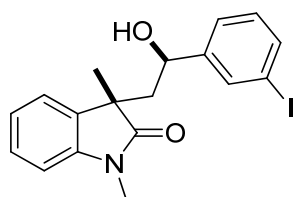
3-(2-hydroxy-2-(3-phenoxyphenyl)ethyl)-1,3-dimethylindolin-2-one (**3j**). As a white solid. Mp: 126 – 128 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.36 – 7.22 (m, 4H), 7.18 (d,  $J = 6.9$  Hz, 1H), 7.09 (t,  $J = 7.5$  Hz, 2H), 7.01 (t,  $J = 7.5$  Hz, 4H), 6.86 (t,  $J = 7.2$  Hz, 2H), 5.00 – 4.92 (m, 1H), 4.40 (s, 1H), 3.17 (s, 3H), 2.24 – 2.14 (m, 1H), 2.10 – 1.99 (m, 1H), 1.53 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.5, 157.1, 157.0, 146.3, 142.3, 134.4, 129.6, 129.4, 128.1, 123.0, 123.0, 122.4, 120.8, 118.7, 117.7, 116.5, 108.4, 71.0, 47.3, 46.3, 26.3, 23.0. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{24}\text{H}_{23}\text{NO}_3\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 396.1570; found: 396.1583.



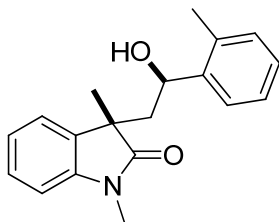
3-(2-(3-fluorophenyl)-2-hydroxyethyl)-1,3-dimethylindolin-2-one (**3k**). As a white solid. Mp: 118 – 119 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.32 – 7.21 (m, 2H), 7.17 (d, *J* = 6.6 Hz, 1H), 7.11 – 7.04 (m, 2H), 7.00 (d, *J* = 9.9 Hz, 1H), 6.90 (t, *J* = 8.8 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 5.00 – 4.94 (m, 1H), 4.49 (s, 1H), 3.18 (s, 3H), 2.19 – 2.13 (m, 1H), 2.05 – 1.97 (m, 1H), 1.53 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.6, 162.7 (d, *J*<sub>C-F</sub> = 246.4 Hz), 146.8 (d, *J*<sub>C-F</sub> = 7.1 Hz), 142.3, 134.4, 129.6 (d, *J*<sub>C-F</sub> = 8.1 Hz), 128.2, 123.1, 122.4, 121.4 (d, *J*<sub>C-F</sub> = 3.0 Hz), 114.1 (d, *J*<sub>C-F</sub> = 20.2 Hz), 112.9 (d, *J*<sub>C-F</sub> = 22.2 Hz), 108.5, 70.7, 47.4, 46.3, 26.3, 23.0. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>FNO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 322.1214; found: 322.1231.



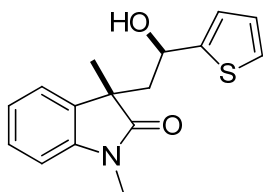
3-(2-(3-bromophenyl)-2-hydroxyethyl)-1,3-dimethylindolin-2-one (**3l**). As a white solid. Mp: 125 – 128 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 7.38 (d, *J* = 7.3 Hz, 1H), 7.34 (d, *J* = 7.8 Hz, 1H), 7.30 (t, *J* = 7.4 Hz, 1H), 7.14 (t, *J* = 8.0 Hz, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 7.7 Hz, 1H), 6.87 – 6.82 (m, 2H), 5.28 (d, *J* = 4.4 Hz, 1H), 4.10 – 4.02 (m, 1H), 2.75 (s, 3H), 2.35 – 2.24 (m, 1H), 2.22 – 2.12 (m, 1H), 1.21 (s, 3H). <sup>13</sup>C NMR (101 MHz, DMSO-*d*<sub>6</sub>) δ 179.2, 147.1, 143.1, 133.2, 130.2, 130.1, 129.4, 128.1, 125.8, 123.4, 122.3, 121.1, 108.5, 70.3, 46.1, 45.4, 25.8, 25.8. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>BrNO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 382.0413; found: 382.0429.



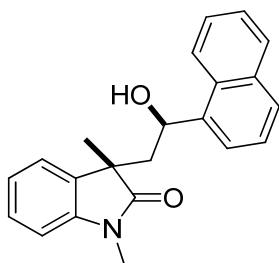
3-(2-hydroxy-2-(3-iodophenyl)ethyl)-1,3-dimethylindolin-2-one (**3m**). As a white solid. Mp: 130 – 132 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.57 – 7.53 (m, 2H), 7.29 (t, *J* = 8.0 Hz, 2H), 7.17 (d, *J* = 7.3 Hz, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 7.03 (t, *J* = 8.0 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 4.93 – 4.84 (m, 1H), 4.36 (s, 1H), 3.17 (s, 3H), 2.20 – 2.11 (m, 1H), 2.07 – 1.97 (m, 1H), 1.52 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.5, 146.4, 142.3, 136.4, 135.1, 134.3, 130.0, 128.2, 125.3, 123.1, 122.5, 108.5, 94.1, 70.7, 47.3, 46.2, 26.4, 23.1. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>INO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 430.0274; found: 430.0295.



3-(2-hydroxy-2-(o-tolyl)ethyl)-1,3-dimethylindolin-2-one (**3n**). As a white solid. Mp: 114 – 115 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.44 (d,  $J = 7.3$  Hz, 1H), 7.30 – 7.25 (m, 1H), 7.20 – 7.05 (m, 5H), 6.85 (d,  $J = 7.8$  Hz, 1H), 5.29 – 5.23 (m, 1H), 4.20 (s, 1H), 3.19 (s, 3H), 2.31 (s, 3H), 2.15 – 2.10 (m, 1H), 2.01 – 1.91 (m, 1H), 1.56 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.7, 142.3, 142.15, 134.7, 133.7, 130.1, 128.1, 127.1, 126.2, 125.6, 123.0, 122.4, 108.4, 67.5, 47.4, 45.3, 26.3, 22.9, 19.0. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{21}\text{NO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 318.1465; found: 318.1478.

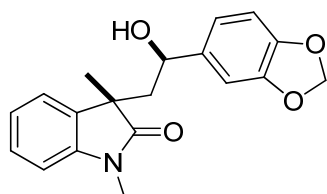


3-(2-hydroxy-2-(thiophen-2-yl)ethyl)-1,3-dimethylindolin-2-one (**3o**).<sup>[1]</sup> As a white solid. Mp: 108 – 109 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.29 (t,  $J = 7.7$  Hz, 1H), 7.25 – 7.22 (m, 1H), 7.20 (d,  $J = 7.3$  Hz, 1H), 7.09 (t,  $J = 7.5$  Hz, 1H), 7.05 – 6.99 (m, 2H), 6.84 (d,  $J = 7.8$  Hz, 1H), 5.07 – 4.96 (m, 1H), 3.93 (s, 1H), 3.14 (s, 3H), 2.34 – 2.24 (m, 1H), 2.18 – 2.07 (m, 1H), 1.51 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.4, 145.2, 142.5, 134.4, 128.1, 125.7, 125.7, 123.0, 122.5, 120.9, 108.4, 67.6, 47.2, 45.5, 26.3, 23.4. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{16}\text{H}_{17}\text{NO}_2\text{SNa}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 310.0872; found: 310.0887.

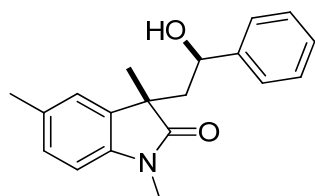


3-(2-hydroxy-2-(naphthalen-1-yl)ethyl)-1,3-dimethylindolin-2-one (**3p**).<sup>[1]</sup> As a white solid. Mp: 125 – 127 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.05 (d,  $J = 8.4$  Hz, 1H), 7.86 (d,  $J = 7.8$  Hz, 1H), 7.75 (d,  $J = 8.2$  Hz, 1H), 7.68 (d,  $J = 7.1$  Hz, 1H), 7.56 –

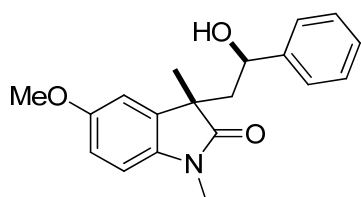
7.42 (m, 3H), 7.30 – 7.23 (m, 1H), 7.15 (d,  $J = 7.2$  Hz, 1H), 7.05 (t,  $J = 7.5$  Hz, 1H), 6.83 (d,  $J = 7.8$  Hz, 1H), 5.85 – 5.82 (m, 1H), 4.38 (s, 1H), 3.18 (s, 3H), 2.44 – 2.40 (m, 1H), 2.16 – 2.10 (m, 1H), 1.65 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.7, 142.3, 139.7, 134.7, 133.6, 129.9, 128.9, 128.0, 127.7, 126.0, 125.5, 125.2, 123.3, 123.0, 122.7, 122.4, 108.5, 67.7, 47.6, 45.7, 26.3, 23.1. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{22}\text{H}_{21}\text{NO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 354.1465; found: 354.1488.



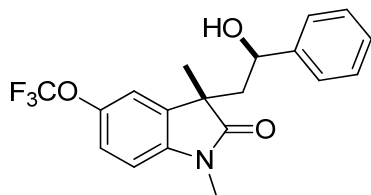
3-(2-(benzo[d][1,3]dioxol-5-yl)-2-hydroxyethyl)-1,3-dimethylindolin-2-one (**3q**). As a white solid. Mp: 124 – 126 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.31 – 7.25 (m, 1H), 7.17 (d,  $J = 7.3$  Hz, 1H), 7.10 – 7.05 (m, 1H), 6.84 (d,  $J = 7.8$  Hz, 1H), 6.77 (s, 1H), 6.72 – 6.65 (m, 2H), 5.90 (s, 2H), 4.86 – 4.79 (m, 1H), 4.15 (s, 1H), 3.14 (s, 3H), 2.19 – 2.12 (m, 1H), 2.08 – 2.00 (m, 1H), 1.50 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.4, 147.4, 146.7, 142.4, 138.1, 134.4, 128.0, 122.9, 122.5, 119.2, 108.4, 107.8, 106.6, 100.8, 71.2, 47.2, 46.4, 26.3, 23.2. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{19}\text{NO}_4\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 348.1206; found: 348.1225.



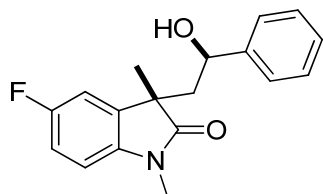
3-(2-hydroxy-2-phenylethyl)-1,3,5-trimethylindolin-2-one (**3r**).<sup>[1]</sup> As a white solid. Mp: 129 – 130 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.33 – 7.18 (m, 5H), 7.08 (d,  $J = 7.9$  Hz, 1H), 7.00 (s, 1H), 6.73 (d,  $J = 7.9$  Hz, 1H), 4.96 – 4.93 (m, 1H), 4.32 (s, 1H), 3.11 (s, 3H), 2.34 (s, 3H), 2.22 – 2.17 (m, 1H), 2.09 – 2.03 (m, 1H), 1.52 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.4, 144.0, 140.0, 134.5, 132.5, 128.2, 128.1, 127.3, 125.9, 123.3, 108.1, 71.3, 47.3, 46.4, 26.3, 23.1, 21.1. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{21}\text{NO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 318.1465; found: 318.1480.



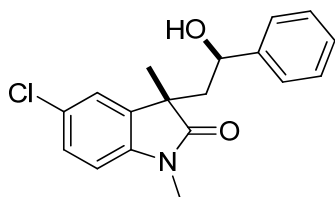
3-(2-hydroxy-2-phenylethyl)-5-methoxy-1,3-dimethylindolin-2-one (**3s**).<sup>[1]</sup> As a white solid. Mp: 130 – 132 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.32 – 7.20 (m, 5H), 6.77 (d, *J* = 15.6 Hz, 3H), 4.97 – 4.92 (m, 1H), 4.32 (s, 1H), 3.78 (s, 3H), 3.11 (s, 3H), 2.22 – 2.15 (m, 1H), 2.12 – 2.04 (m, 1H), 1.52 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.0, 156.3, 144.0, 135.9, 135.8, 128.1, 127.3, 125.9, 112.1, 110.0, 108.7, 71.2, 55.7, 47.7, 46.4, 26.3, 23.2. HRMS (ESI) *m/z* calculated for C<sub>19</sub>H<sub>21</sub>NO<sub>3</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 334.1414; found: 334.1430.



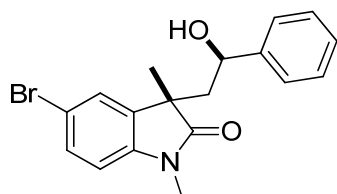
3-(2-hydroxy-2-phenylethyl)-1,3-dimethyl-5-(trifluoromethoxy)indolin-2-one (**3t**). As a white solid. Mp: 115 – 117 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 – 7.10 (m, 6H), 7.07 (s, 1H), 6.78 (d, *J* = 8.4 Hz, 1H), 4.84 – 4.79 (m, 1H), 3.86 (s, 1H), 3.06 (s, 3H), 2.26 – 2.17 (m, 1H), 2.17 – 2.07 (m, 1H), 1.49 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 180.9, 144.9 (d, *J*<sub>C-F</sub> = 2 Hz), 143.4, 141.1, 135.8, 128.1, 127.6, 126.0, 121.0, 120.4 (q, *J*<sub>C-F</sub> = 259 Hz), 116.7, 108.6, 71.2, 47.5, 45.8, 26.3, 23.5. HRMS (ESI) *m/z* calculated for C<sub>19</sub>H<sub>18</sub>F<sub>3</sub>NO<sub>3</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 388.1131; found: 388.1152.



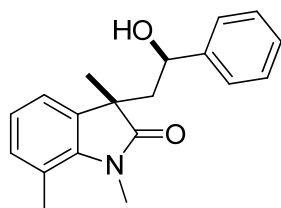
5-fluoro-3-(2-hydroxy-2-phenylethyl)-1,3-dimethylindolin-2-one (**3u**).<sup>[1]</sup> As a white solid. Mp: 102 – 104 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.29 (d, *J* = 7.9 Hz, 2H), 7.25 – 7.19 (m, 3H), 7.00 – 6.90 (m, 2H), 6.74 (dd, *J* = 8.4, 4.1 Hz, 1H), 4.92 – 4.84 (m, 1H), 3.98 (s, 1H), 3.09 (s, 3H), 2.24 – 2.12 (m, 1H), 2.14 – 2.03 (m, 1H), 1.50 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 181.0, 159.5 (d, *J* = 242.4 Hz), 143.7, 138.3 (d, *J* = 1 Hz), 136.2 (d, *J* = 8.1 Hz), 128.2, 127.5, 125.9, 114.2 (d, *J* = 23.2 Hz), 110.8 (d, *J* = 24.2 Hz), 108.8 (d, *J* = 8.1 Hz), 71.3, 47.7 (d, *J* = 2 Hz), 46.1, 26.4, 23.4. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>FNO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 322.1214; found: 322.1214.



5-chloro-3-(2-hydroxy-2-phenylethyl)-1,3-dimethylindolin-2-one (**3v**).<sup>[1]</sup> As a white solid. Mp: 117 – 119 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 – 7.19 (m, 6H), 7.15 (d, *J* = 2.0 Hz, 1H), 6.74 (d, *J* = 8.3 Hz, 1H), 4.91 – 4.83 (m, 1H), 3.87 (s, 1H), 3.08 (s, 3H), 2.26 – 2.18 (m, 1H), 2.16 – 2.07 (m, 1H), 1.50 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 180.8, 143.5, 141.0, 136.1, 128.3, 128.2, 127.9, 127.6, 126.0, 123.2, 109.2, 71.3, 47.4, 46.0, 26.4, 23.5. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>ClNO<sub>2</sub>Na<sup>+</sup> (*M*+Na)<sup>+</sup>: 338.0918; found: 338.0946.



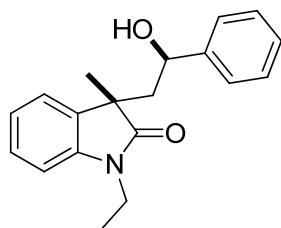
5-bromo-3-(2-hydroxy-2-phenylethyl)-1,3-dimethylindolin-2-one (**3w**).<sup>[1]</sup> As a white solid. Mp: 126 – 128 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.40 (dd, *J* = 8.2, 1.9 Hz, 1H), 7.31 – 7.17 (m, 6H), 6.69 (d, *J* = 8.3 Hz, 1H), 4.88 – 4.81 (m, 1H), 3.86 (s, 1H), 3.05 (s, 3H), 2.24 – 2.18 (m, 1H), 2.16 – 2.06 (m, 1H), 1.49 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 180.6, 143.5, 141.5, 136.4, 130.8, 128.1, 127.6, 126.0, 125.9, 115.5, 109.7, 71.2, 47.3, 46.0, 26.3, 23.5. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>18</sub>BrNO<sub>2</sub>Na<sup>+</sup> (*M*+Na)<sup>+</sup>: 382.0413; found: 382.0432.



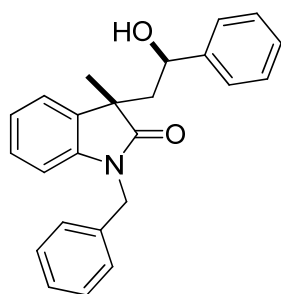
3-(2-hydroxy-2-phenylethyl)-1,3,7-trimethylindolin-2-one (**3x**). As a white solid. Mp: 115 – 117 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.33 – 7.19 (m, 5H), 7.04 – 6.92 (m, 3H), 4.97 – 4.89 (m, 1H), 4.29 (s, 1H), 3.41 (s, 3H), 2.56 (s, 3H), 2.21 – 2.13 (m, 1H), 2.08 – 1.99 (m, 1H), 1.51 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 182.2, 144.0, 140.1, 135.1, 131.7, 128.1, 127.4, 126.0, 122.9, 120.5, 120.0, 71.4, 46.7, 46.6, 29.6, 23.5, 18.9. HRMS (ESI) *m/z* calculated for C<sub>19</sub>H<sub>21</sub>NO<sub>2</sub>Na<sup>+</sup> (*M*+Na)<sup>+</sup>: 318.1465; found:



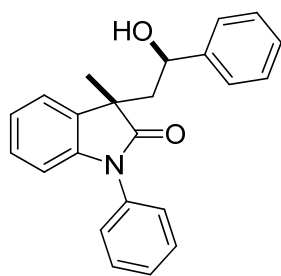
318.1483.



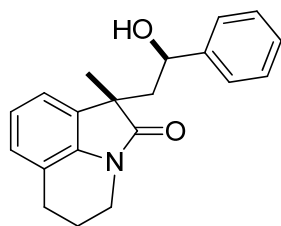
1-ethyl-3-(2-hydroxy-2-phenylethyl)-3-methylindolin-2-one (**3y**). As a white solid. Mp: 108 – 110 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.33 – 7.21 (m, 6H), 7.19 (d,  $J = 7.3$  Hz, 1H), 7.07 (t,  $J = 7.5$  Hz, 1H), 6.88 (d,  $J = 7.8$  Hz, 1H), 5.03 – 4.96 (m, 1H), 4.31 (s, 1H), 3.81 – 3.64 (m, 2H), 2.23 – 2.14 (m, 1H), 2.11 – 2.00 (m, 1H), 1.54 (s, 3H), 1.26 (t,  $J = 7.2$  Hz, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.2, 144.2, 141.4, 134.9, 128.2, 128.0, 127.3, 125.9, 122.8, 122.7, 108.6, 71.3, 47.4, 46.5, 34.8, 23.1, 12.6. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{21}\text{NO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 318.1465; found: 318.1483.



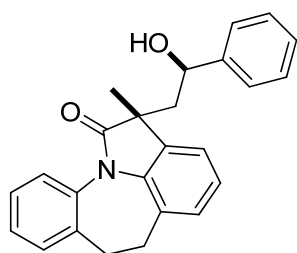
1-benzyl-3-(2-hydroxy-2-phenylethyl)-3-methylindolin-2-one (**3z**).<sup>[1]</sup> As a white solid. Mp: 118 – 120 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.36 – 7.24 (m, 10H), 7.22 – 7.14 (m, 2H), 7.05 (t,  $J = 7.5$  Hz, 1H), 6.75 (d,  $J = 7.8$  Hz, 1H), 5.02 (d,  $J = 9.4$  Hz, 1H), 4.95 (d,  $J = 15.6$  Hz, 1H), 4.76 (d,  $J = 15.6$  Hz, 1H), 4.13 (s, 1H), 2.30 – 2.21 (m, 1H), 2.20 – 2.09 (m, 1H), 1.61 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.7, 144.1, 141.5, 135.6, 134.6, 128.8, 128.2, 127.9, 127.6, 127.4, 127.1, 125.9, 123.0, 122.6, 109.4, 71.3, 47.4, 46.6, 43.7, 23.5. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{24}\text{H}_{23}\text{NO}_2\text{Na}^+$  ( $\text{M}+\text{Na}$ ) $^+$ : 380.1621; found: 380.1654.



3-(2-hydroxy-2-phenylethyl)-3-methyl-1-phenylindolin-2-one (**3aa**).<sup>[1]</sup> As a white solid. Mp: 120 – 123 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.52 (t, *J* = 7.7 Hz, 2H), 7.42 (t, *J* = 7.4 Hz, 1H), 7.36 – 7.19 (m, 9H), 7.12 (t, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 7.8 Hz, 1H), 5.04 – 4.97 (m, 1H), 3.78 (s, 1H), 2.42 – 2.32 (m, 1H), 2.32 – 2.21 (m, 1H), 1.65 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 180.9, 144.0, 142.4, 134.2, 134.0, 129.5, 128.3, 128.1, 127.9, 127.4, 126.4, 126.0, 123.3, 122.9, 109.7, 71.5, 47.4, 46.5, 24.1. HRMS (ESI) *m/z* calculated for C<sub>23</sub>H<sub>21</sub>NO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 366.1465; found: 366.1493.

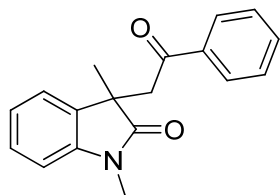


1-(2-hydroxy-2-phenylethyl)-1-methyl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-2(1H)-one (**3ab**). As a white solid. Mp: 110 – 112 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.32 – 7.20 (m, 5H), 7.05 – 6.94 (m, 3H), 4.98 – 4.92 (m, 1H), 4.22 (s, 1H), 3.70 – 3.61 (m, 1H), 3.61 – 3.52 (m, 1H), 2.77 (t, *J* = 6.0 Hz, 2H), 2.25 – 2.17 (m, 1H), 2.14 – 2.04 (m, 1H), 2.03 – 1.94 (m, 2H), 1.54 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 180.3, 144.0, 138.2, 133.1, 128.2, 127.4, 126.8, 126.0, 122.4, 120.5, 120.3, 71.4, 48.7, 46.2, 38.8, 24.4, 23.1, 21.0. HRMS (ESI) *m/z* calculated for C<sub>20</sub>H<sub>21</sub>NO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 330.1465; found: 330.1488.



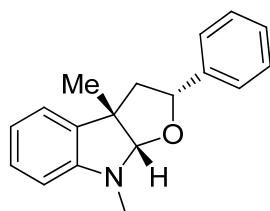
7-(2-hydroxy-2-phenylethyl)-7-methyl-11,12-dihydrobenzo[6,7]azepino[3,2,1-hi

indol-6(7H)-one (**3ac**). As a white solid. Mp: 131 – 133 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.72 (d, *J* = 6.3 Hz, 1H), 7.38 – 7.16 (m, 8H), 7.14 – 7.00 (m, 3H), 5.08 – 4.94 (m, 1H), 3.70 (s, 1H), 3.05 (d, *J* = 8.7 Hz, 4H), 2.42 – 2.16 (m, 2H), 1.64 (s, 3H). <sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 181.8, 144.1, 139.6, 136.6, 135.6, 134.6, 129.8, 129.5, 128.3, 127.4, 126.6, 126.5, 126.4, 125.8, 125.0, 122.7, 120.4, 71.4, 47.1, 47.0, 33.8, 33.5, 24.5. HRMS (ESI) *m/z* calculated for C<sub>25</sub>H<sub>23</sub>NO<sub>2</sub>Na<sup>+</sup> (M+Na)<sup>+</sup>: 392.1621; found: 392.1645.



1,3-dimethyl-3-(2-oxo-2-phenylethyl)indolin-2-one (**4a**). As a white solid<sup>[2]</sup>.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.84 (d, *J* = 7.3 Hz, 2H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.29 – 7.22 (m, 1H), 7.14 (d, *J* = 7.2 Hz, 1H), 6.98 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 3.79 – 3.60 (m, 2H), 3.32 (s, 3H), 1.45 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 196.1, 180.5, 143.8, 136.2, 133.6, 133.1, 128.4, 127.9, 127.8, 122.1, 121.7, 108.1, 46.0, 45.2, 26.4, 24.9.



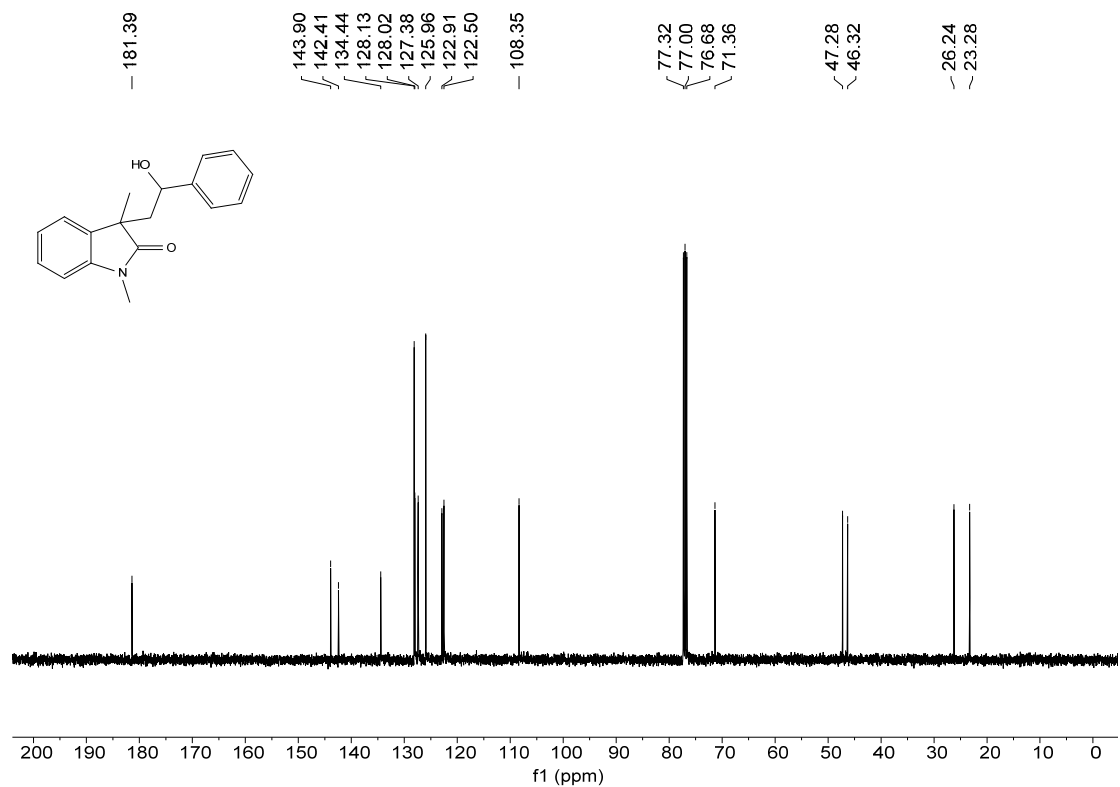
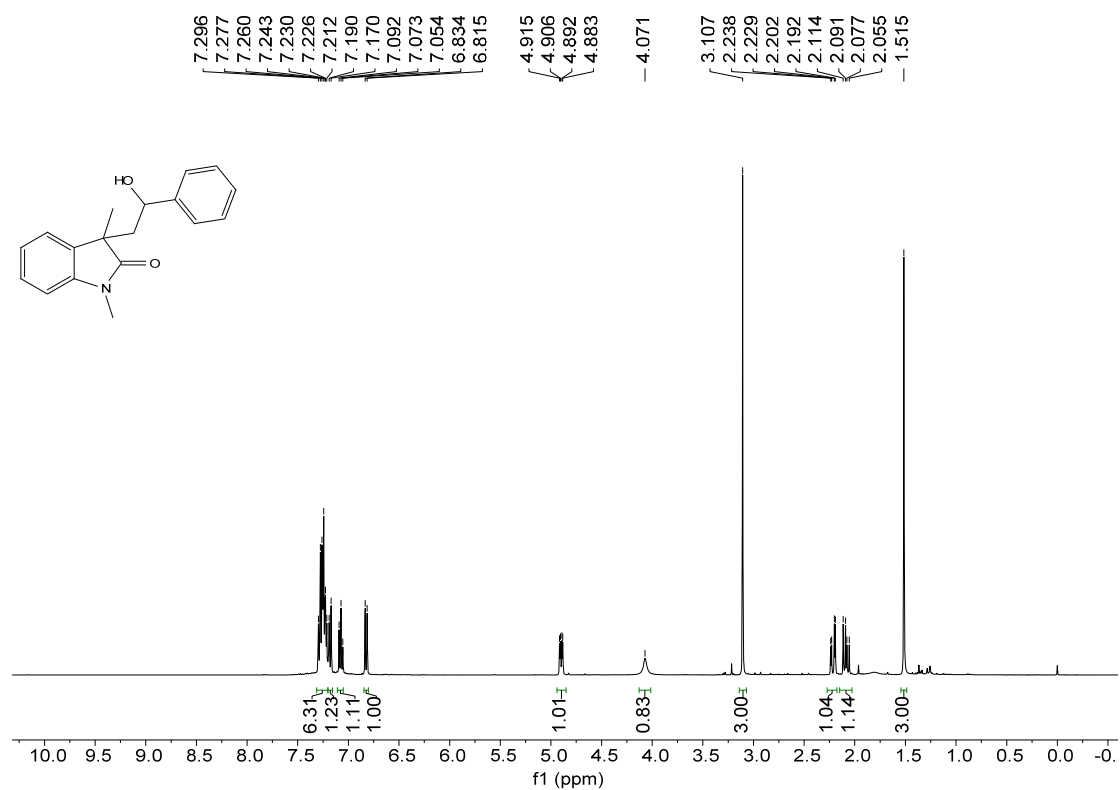
tetrahydro-2*H*-furo[2,3-*b*]indole (**4b**)<sup>[3]</sup>. As a white solid. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.36 – 7.30 (m, 4H), 7.30 – 7.25 (m, 1H), 7.17 (t, *J* = 7.0 Hz, 1H), 7.11 (d, *J* = 6.5 Hz, 1H), 6.75 (t, *J* = 7.0 Hz, 1H), 6.44 (d, *J* = 7.8 Hz, 1H), 5.32 (s, 1H), 4.72 – 4.64 (m, 1H), 2.99 (s, 3H), 2.55 – 2.48 (m, 1H), 2.08 – 1.99 (m, 1H), 1.54 (s, 3H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 150.6, 140.9, 134.5, 128.3, 128.2, 127.6, 126.1, 122.5, 117.3, 104.9, 104.8, 80.4, 53.1, 50.5, 30.9, 24.9.

## 6. References

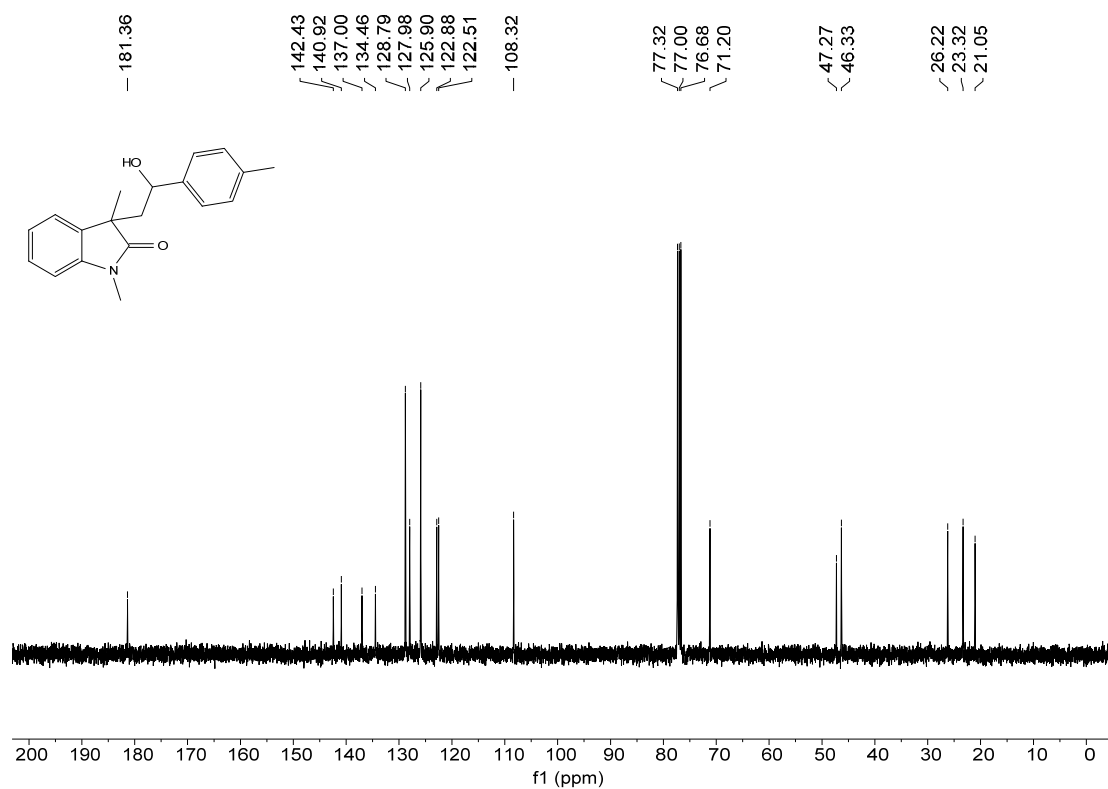
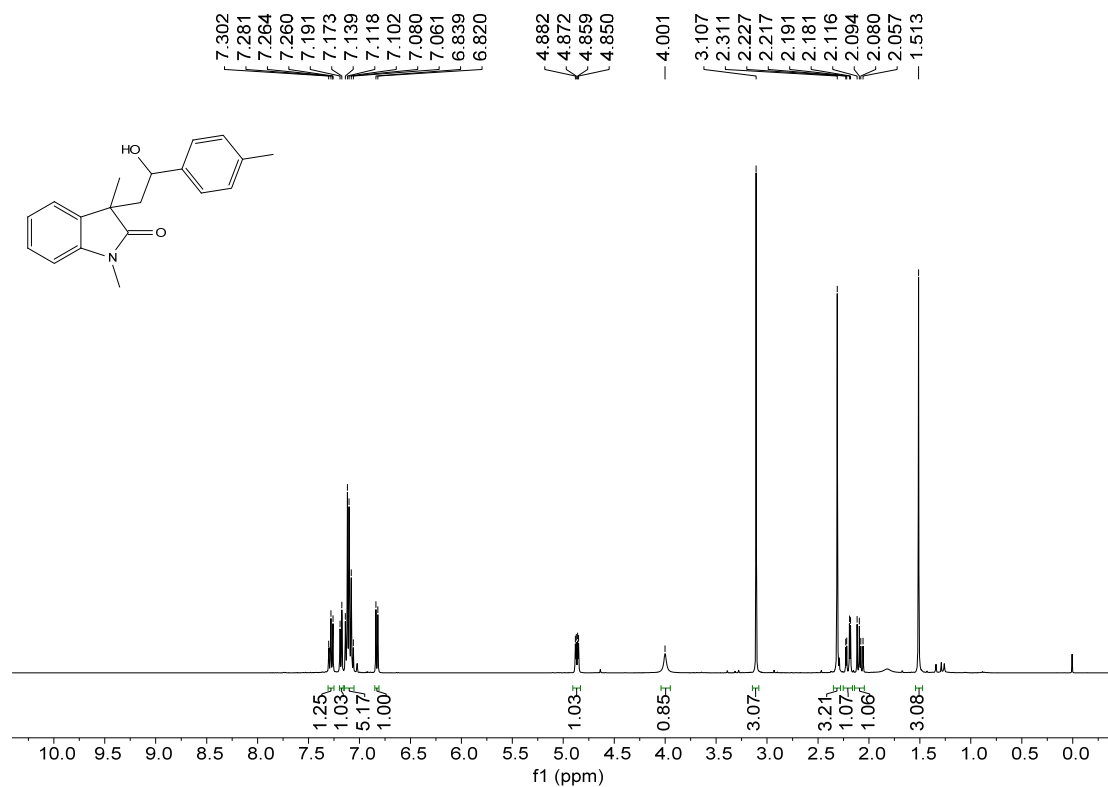
- [1] Z. Qu, T. Tian, Y. Tan, X. Ji, G.-J. Deng and H. Huang, *Green Chem.*, 2022, **24**, 7403.
- [2] G. Xu, T. Xiao and G. Feng, *Org. Lett.*, 2021, **23**, 2846.
- [3] F. Jia, K. Liu and H. Xi, *Tetrahedron Lett.*, 2013, **54**, 6337.

## 7. Copies of $^1\text{H}$ and $^{13}\text{C}$ NMR spectra of all products

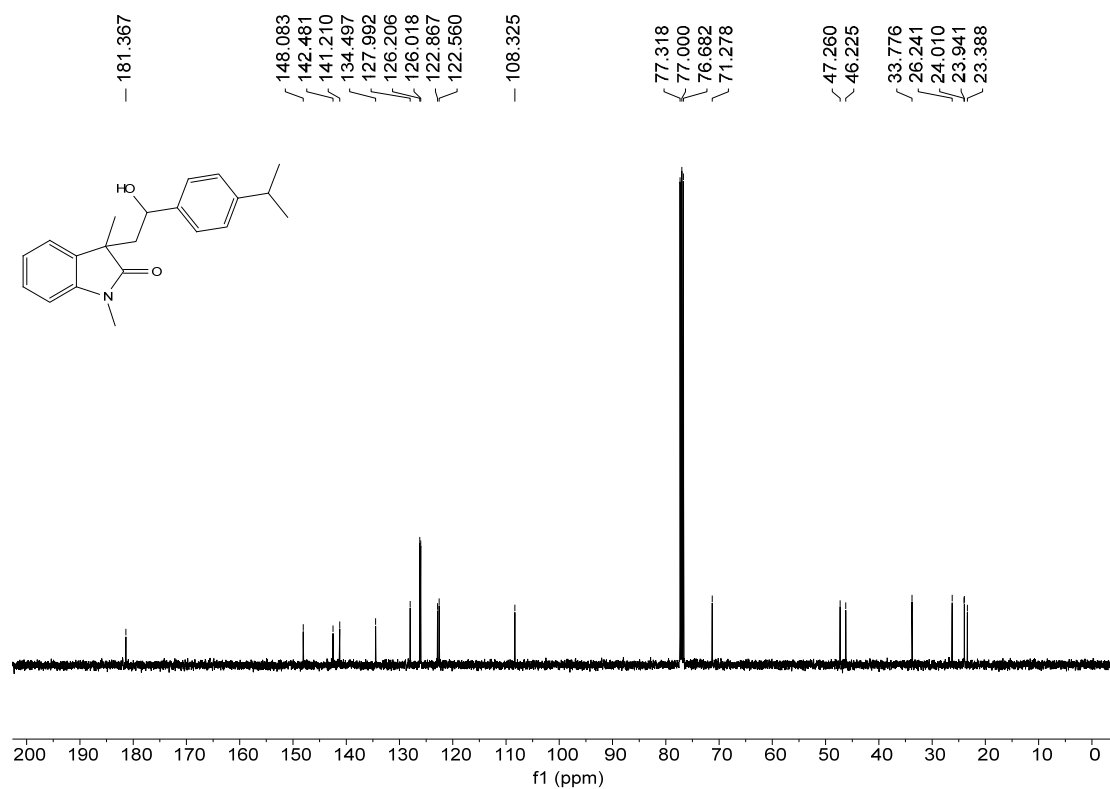
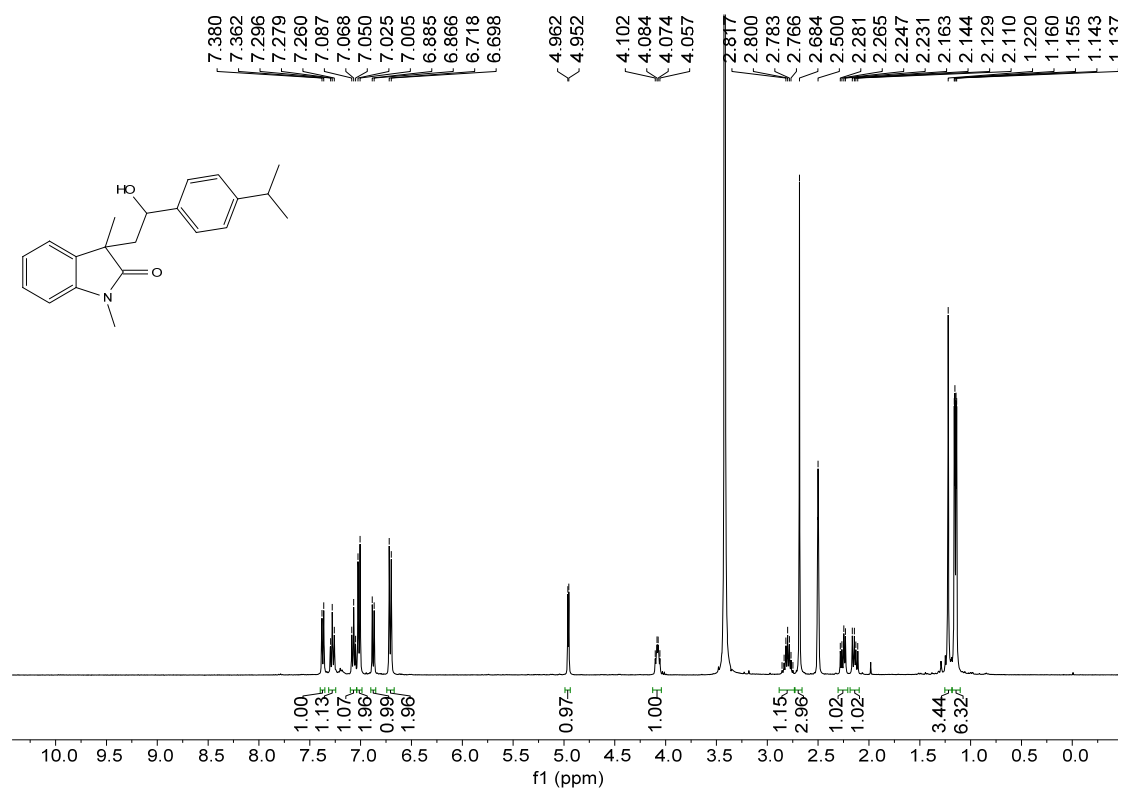
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3a** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



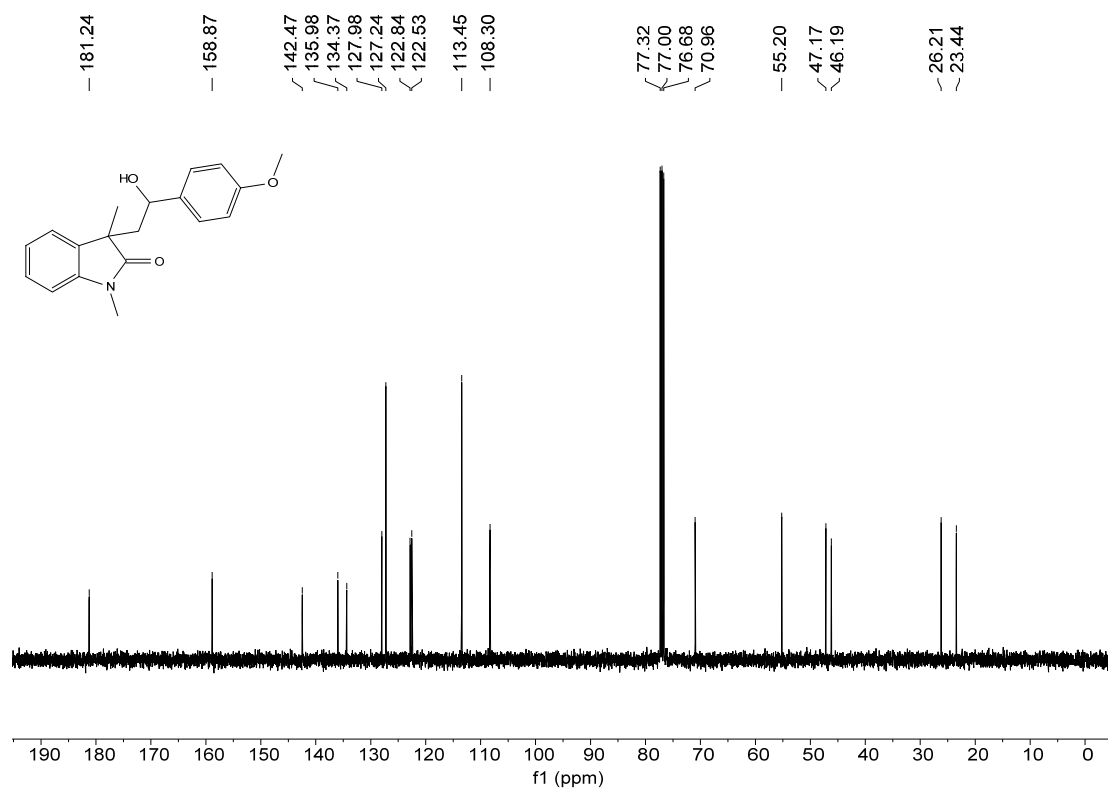
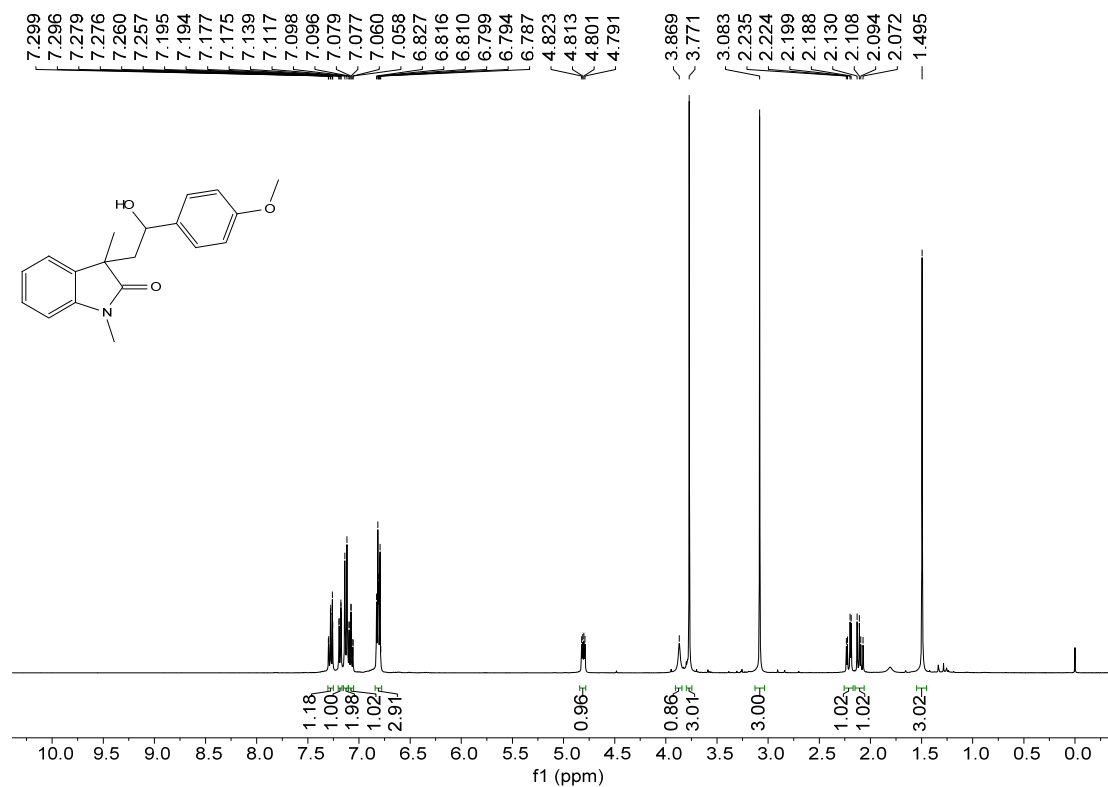
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3b** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3c** (400 MHz, DMSO- $d_6$ , 100 MHz,  $\text{CDCl}_3$ )

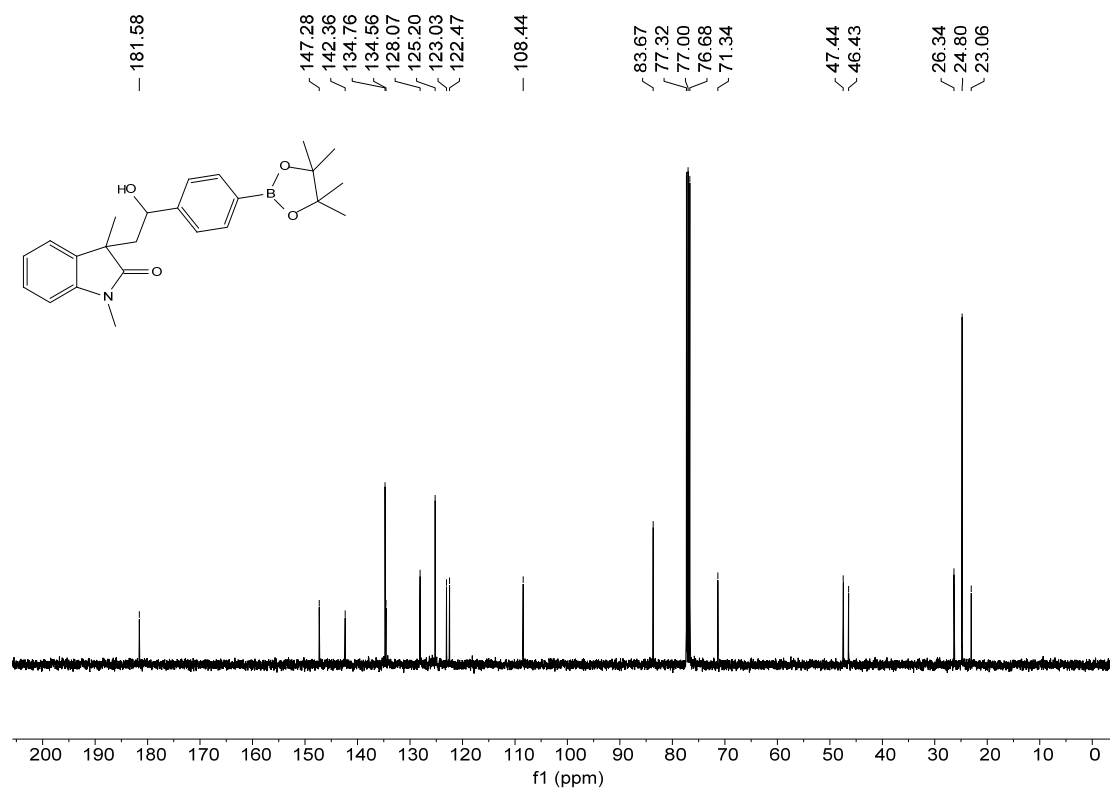
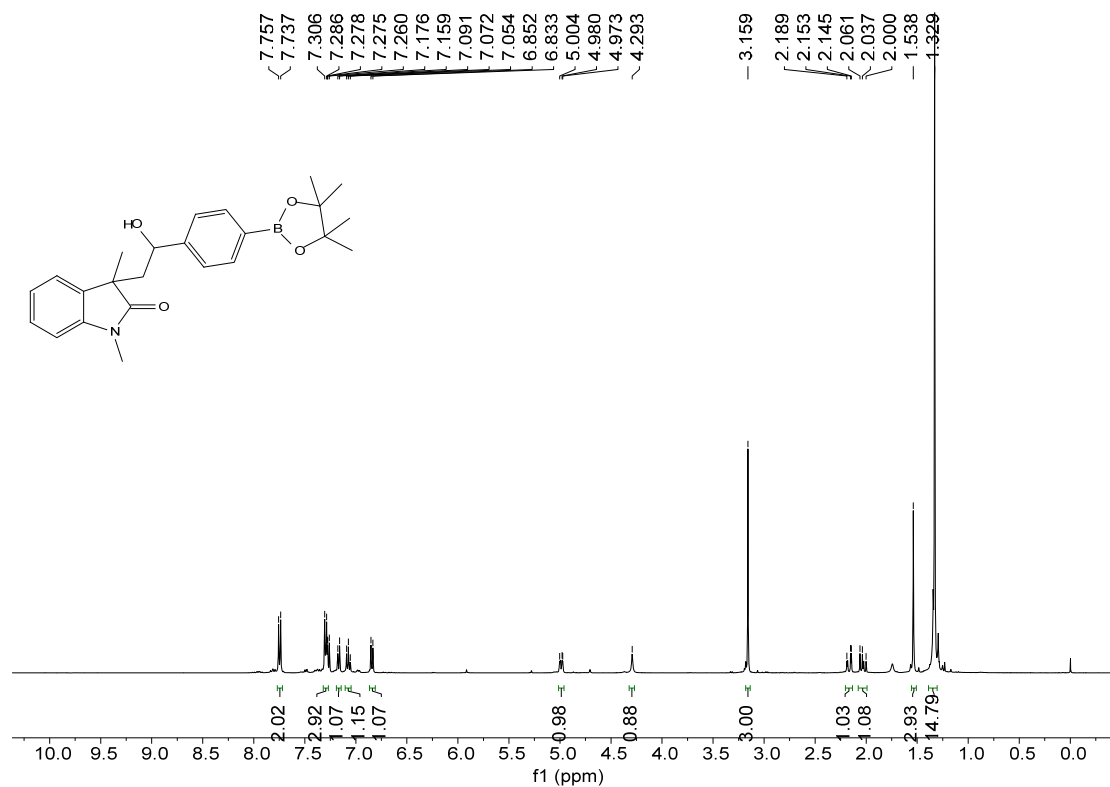


$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3d** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

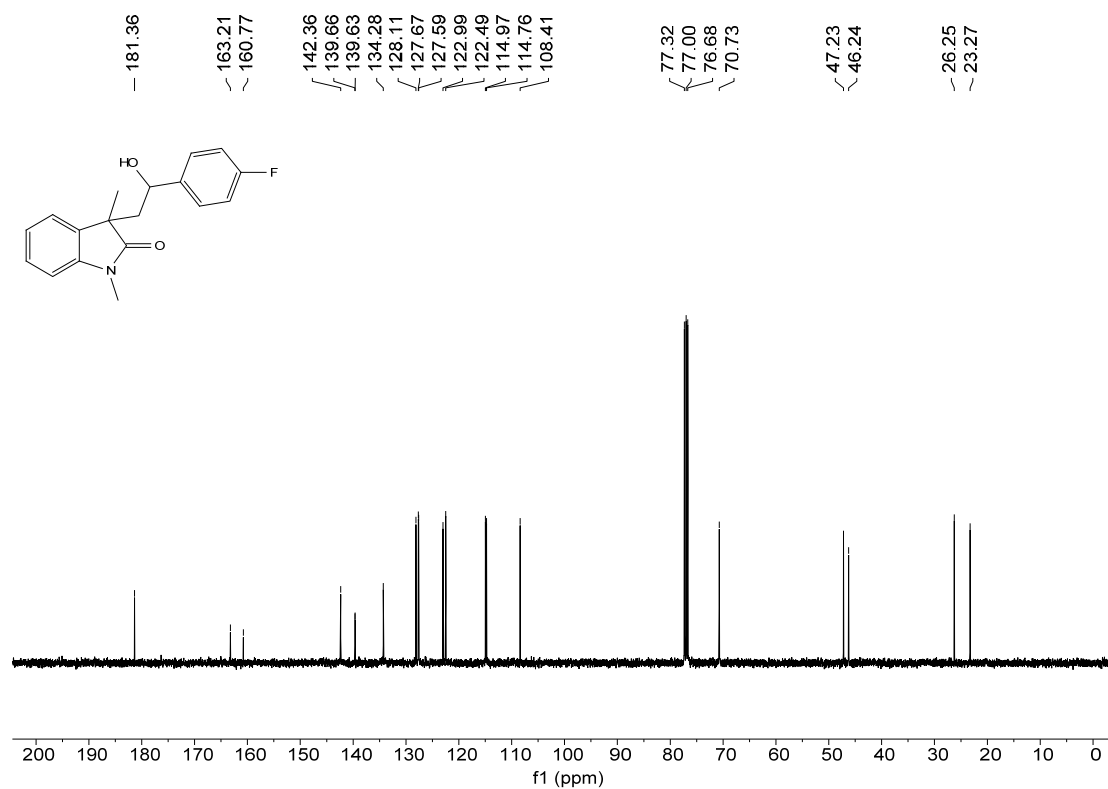
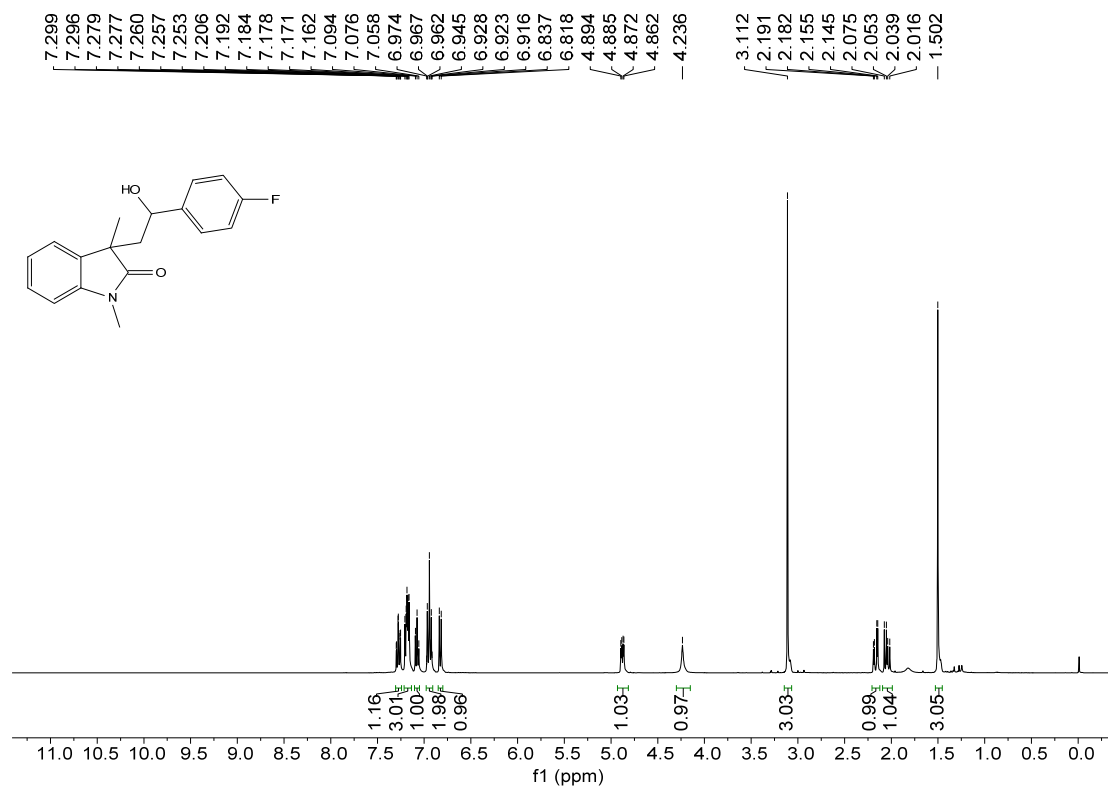




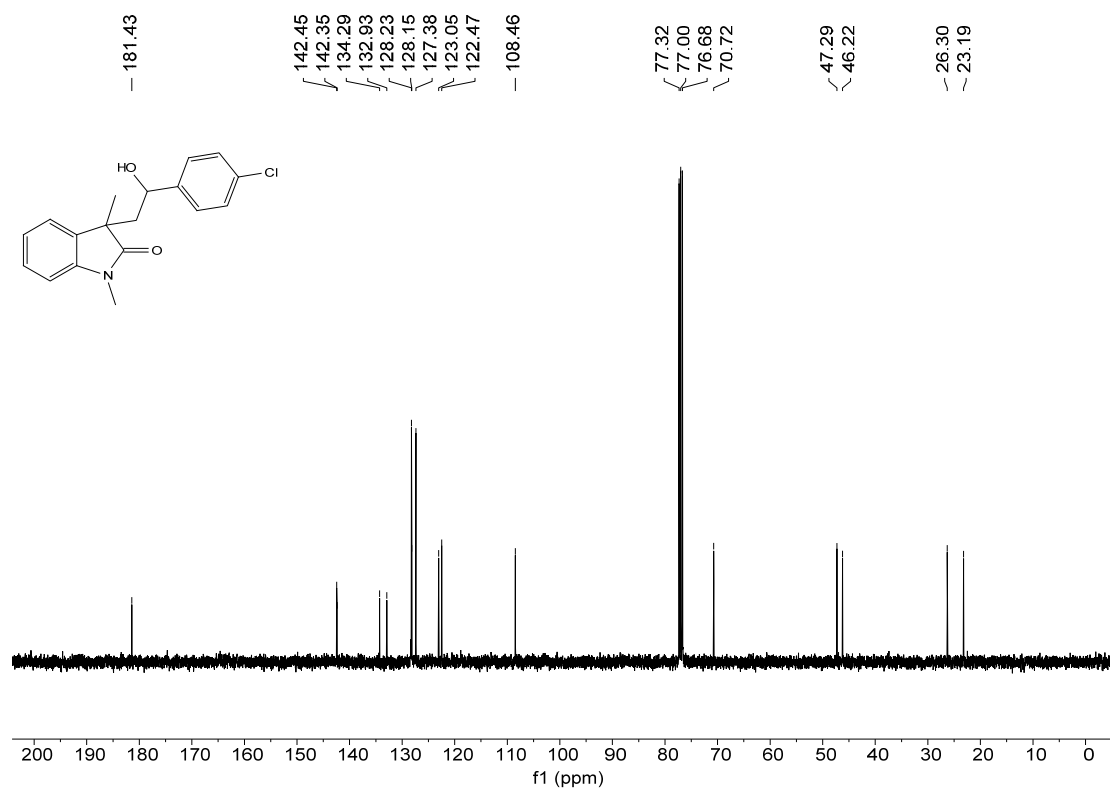
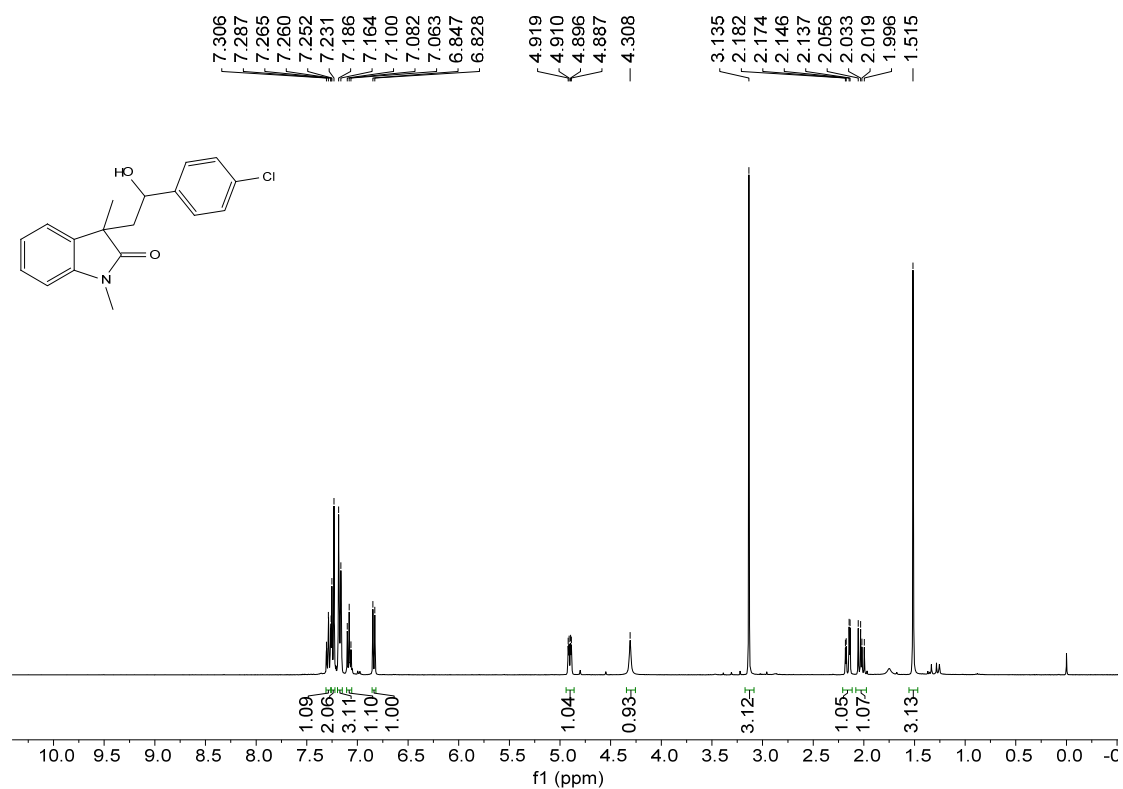
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3e** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



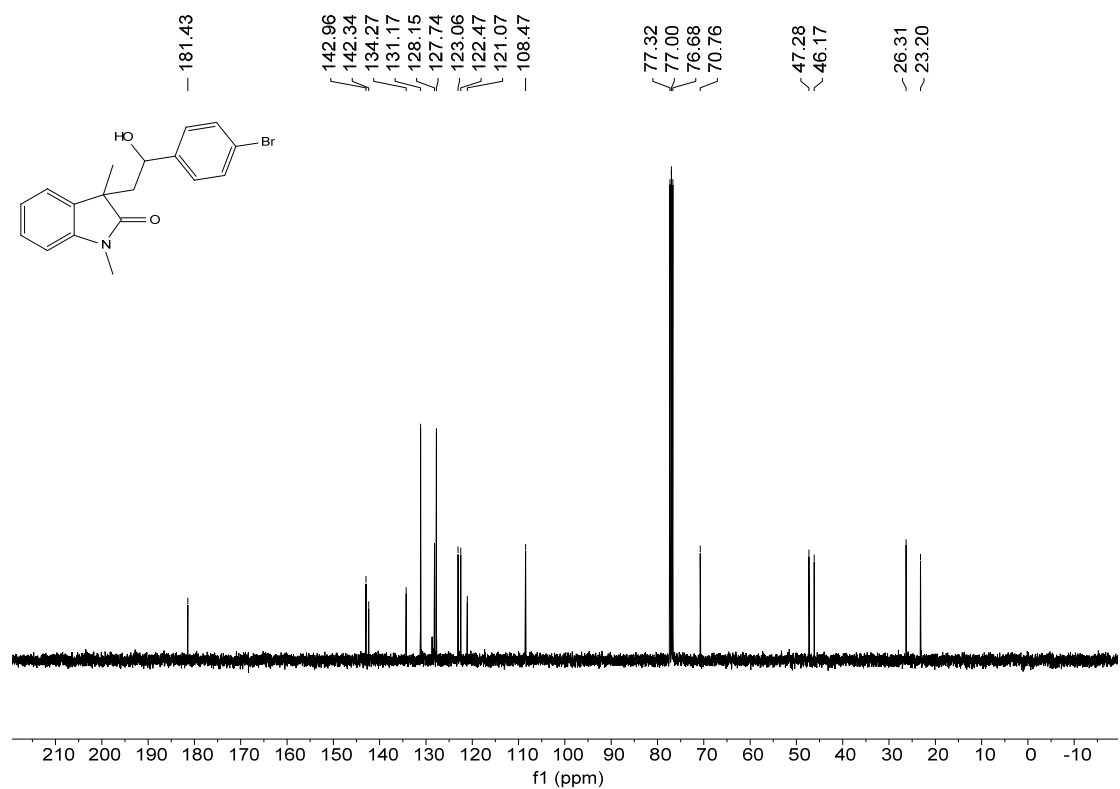
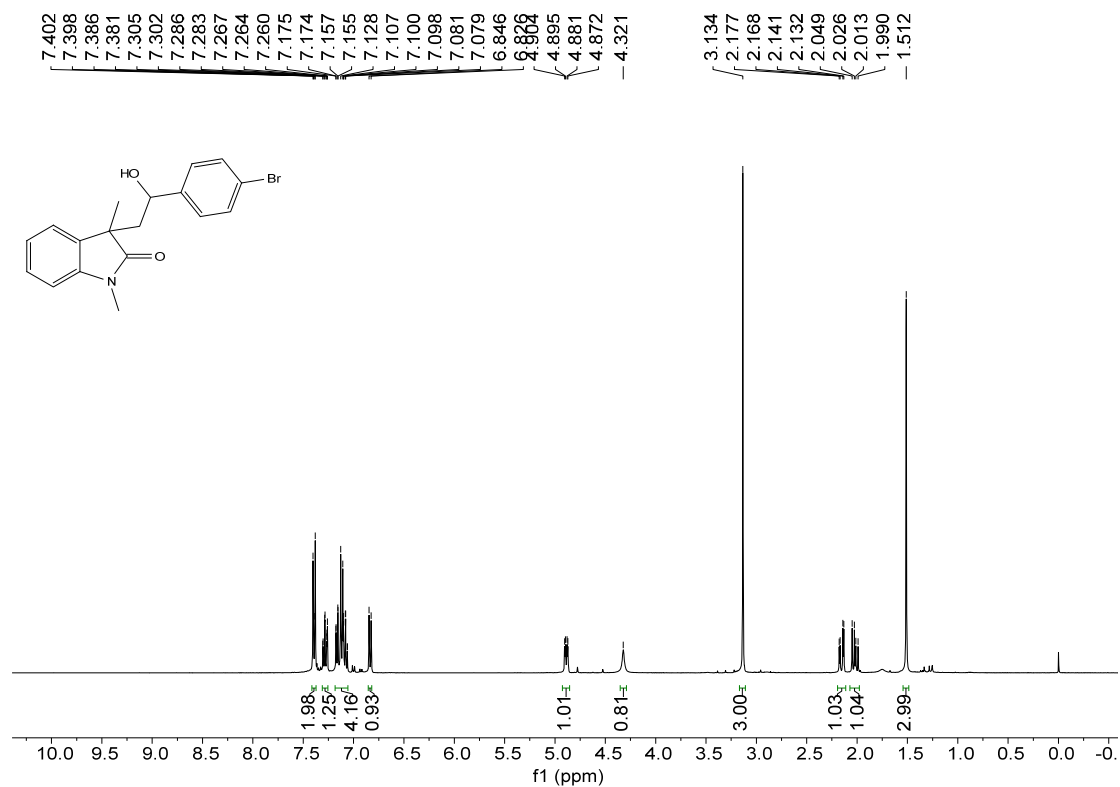
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3f** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3g** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

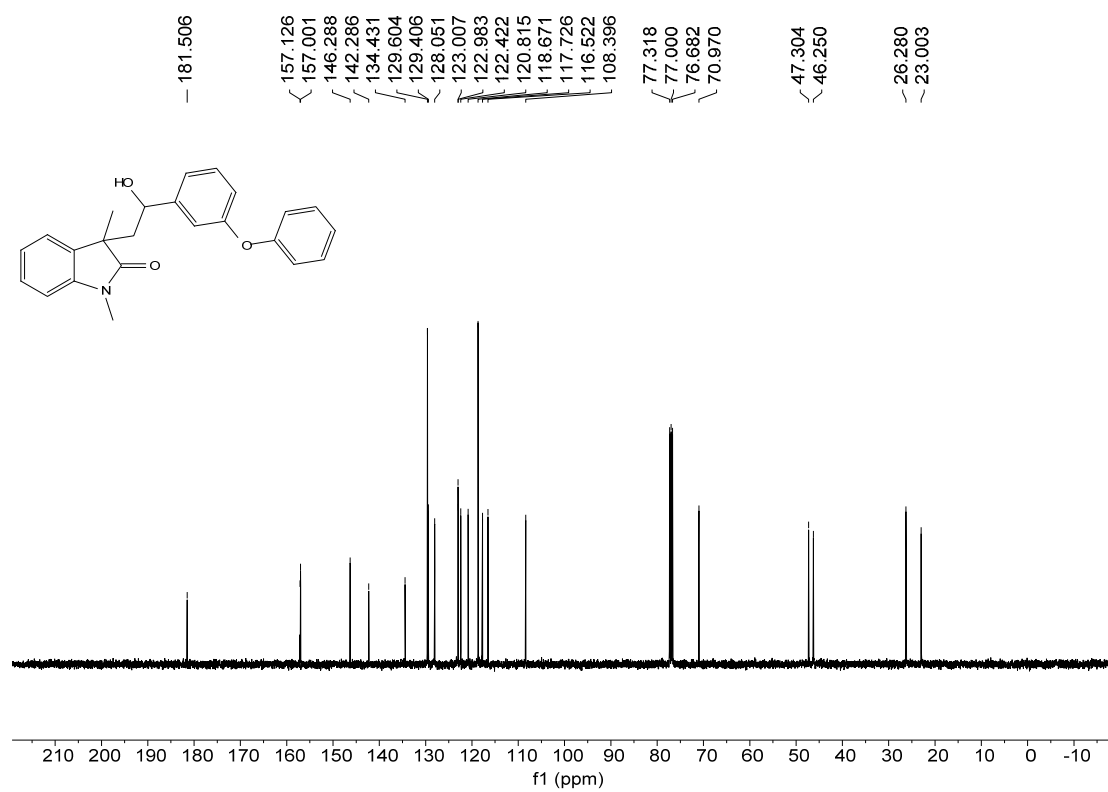
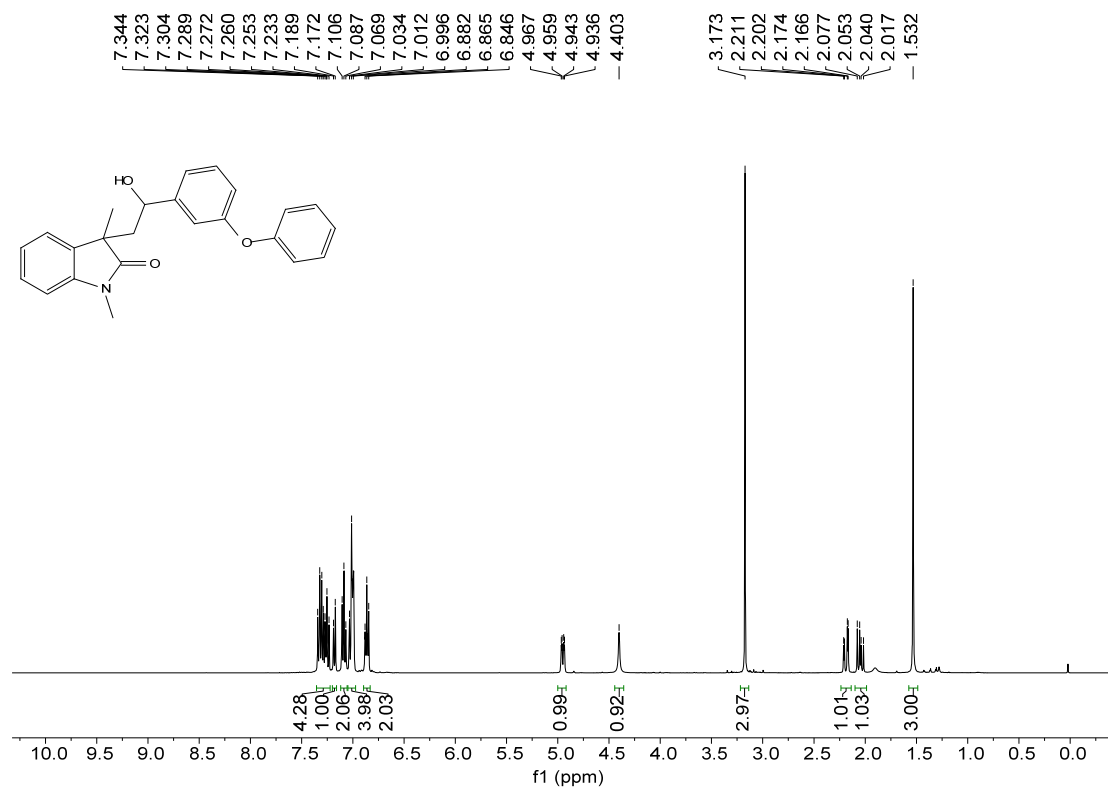


$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3h** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

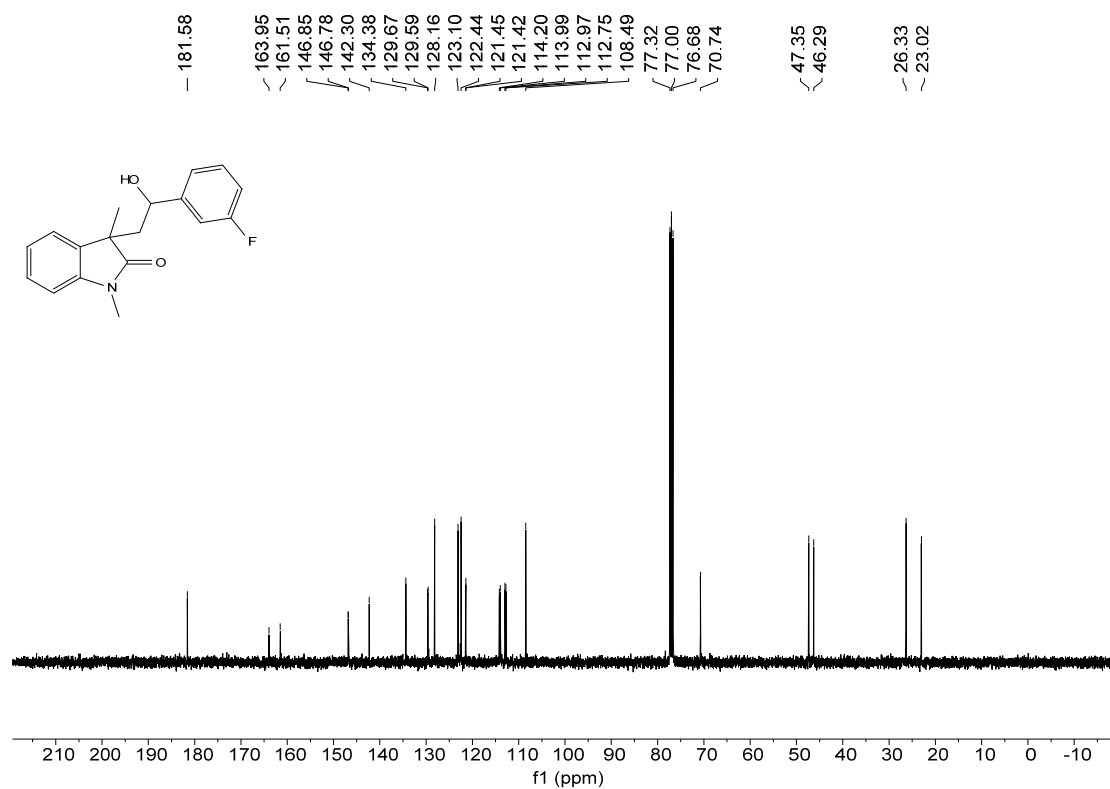
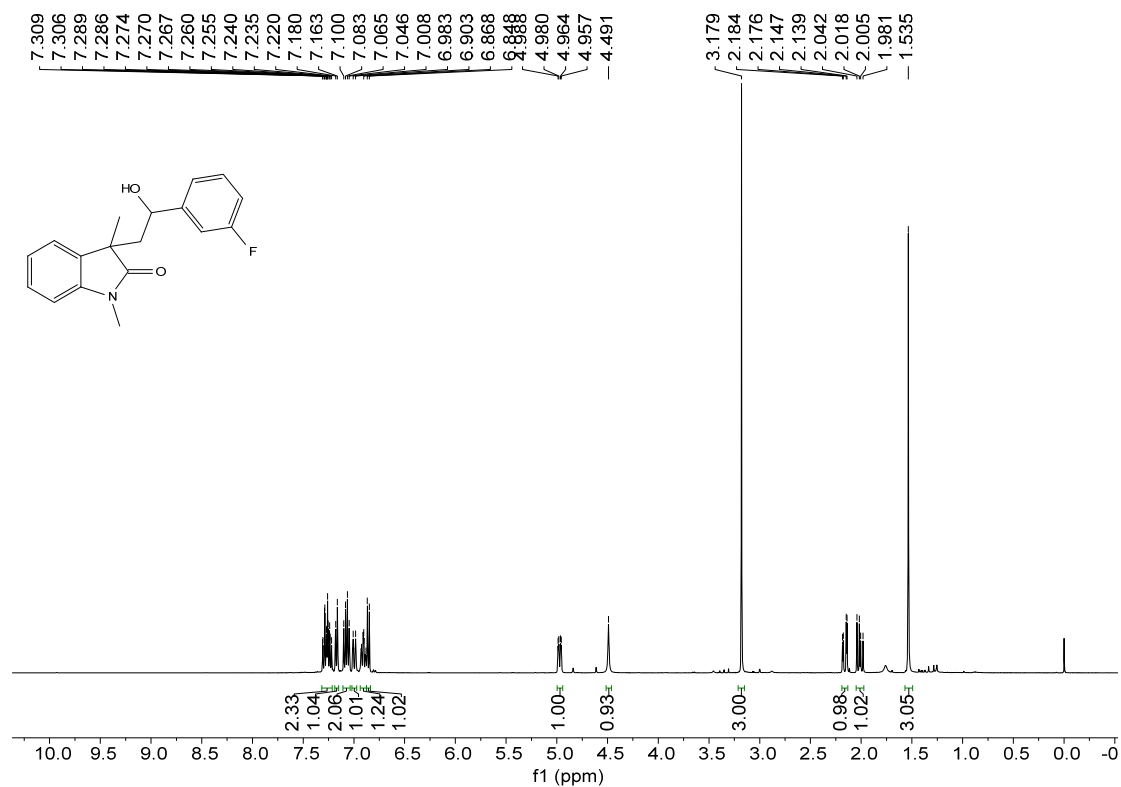




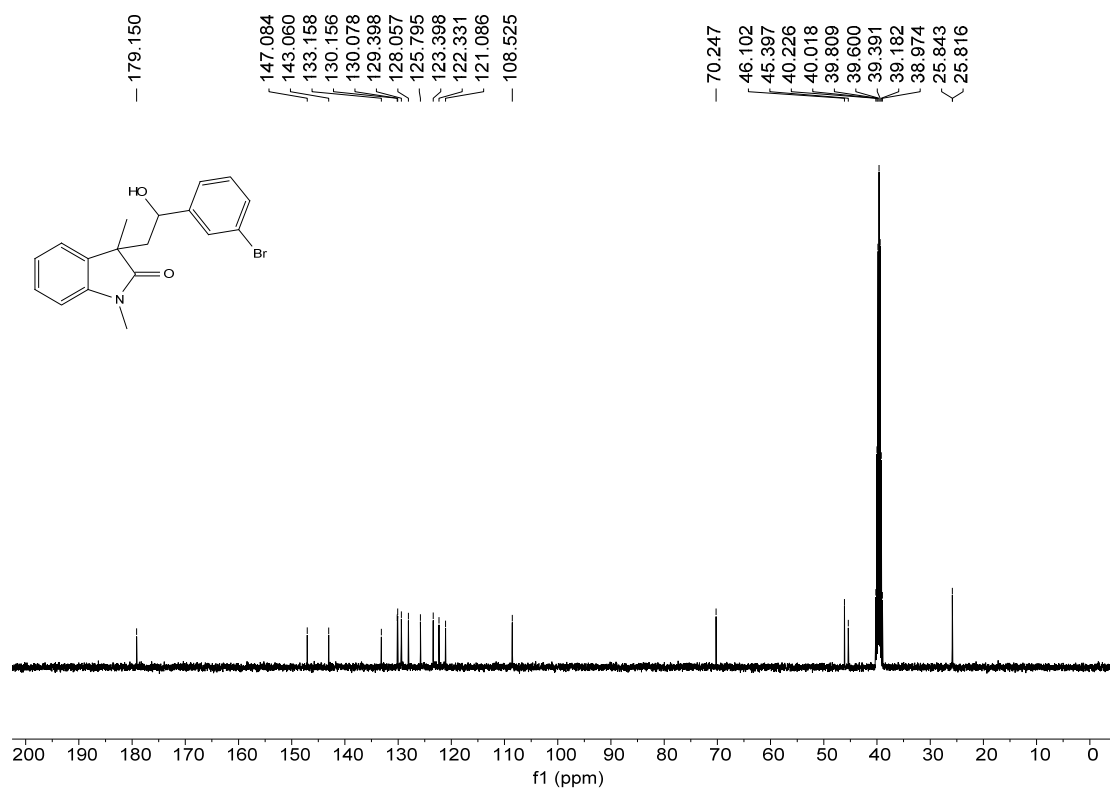
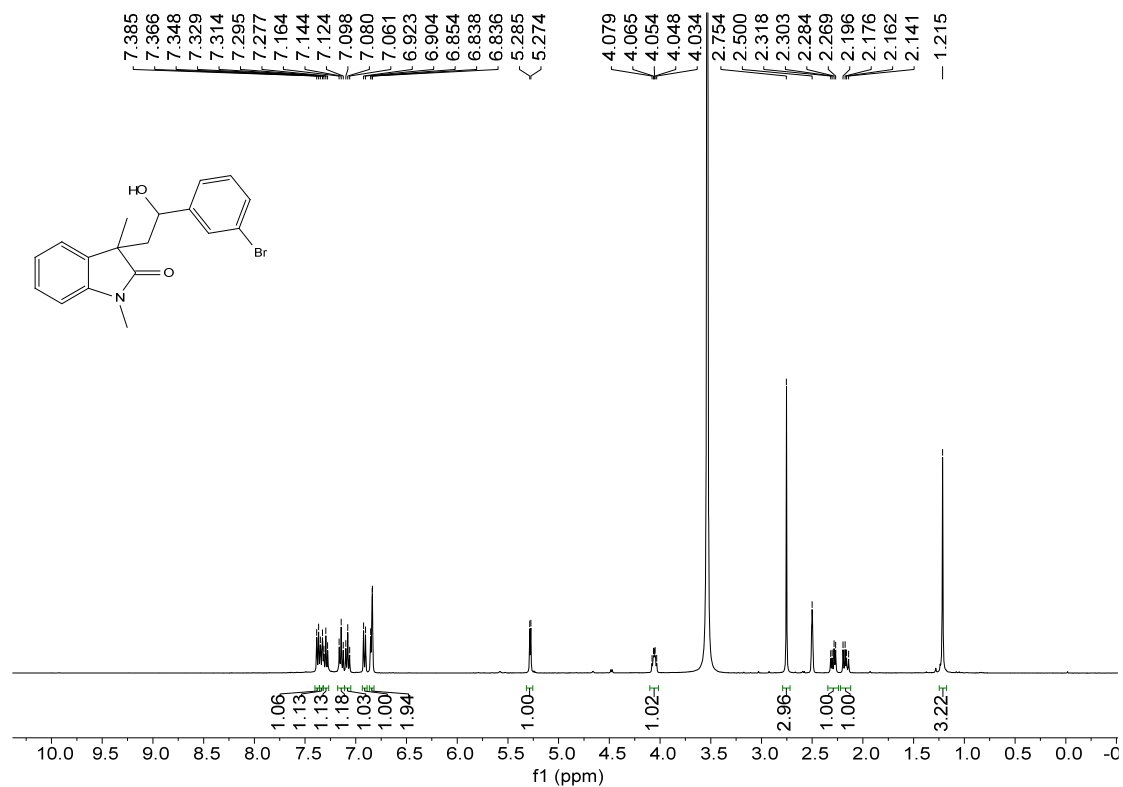
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3j** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3k** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

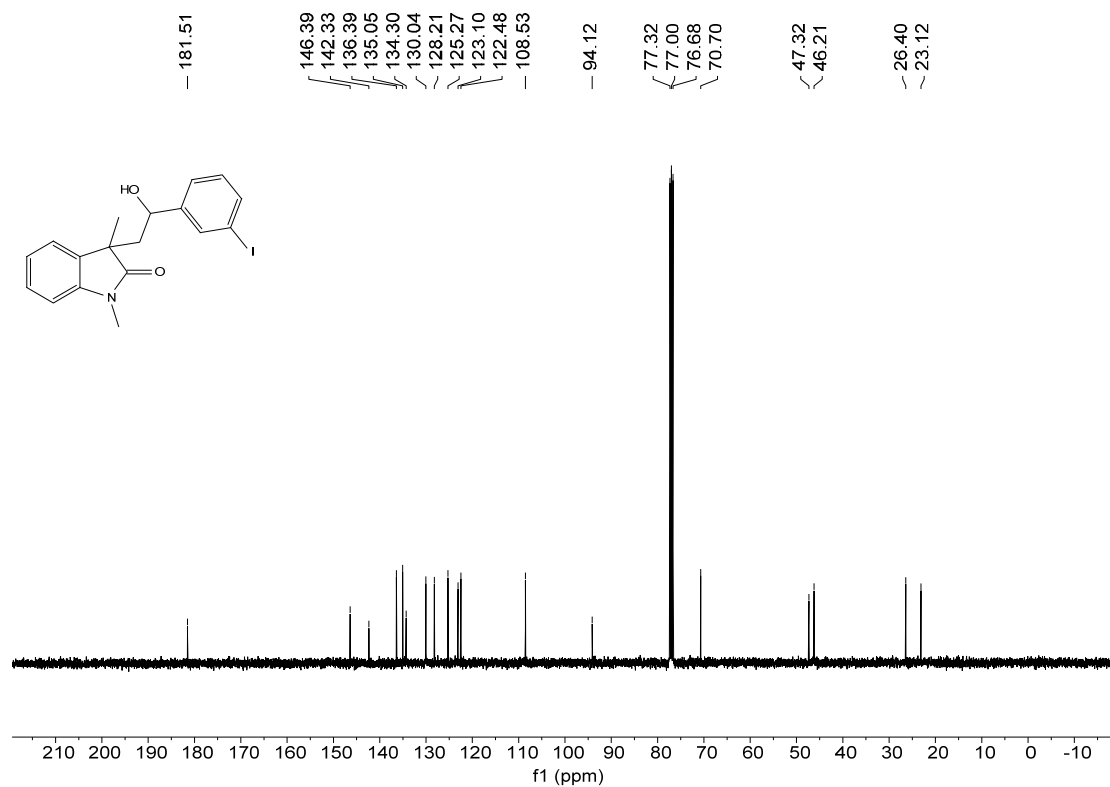
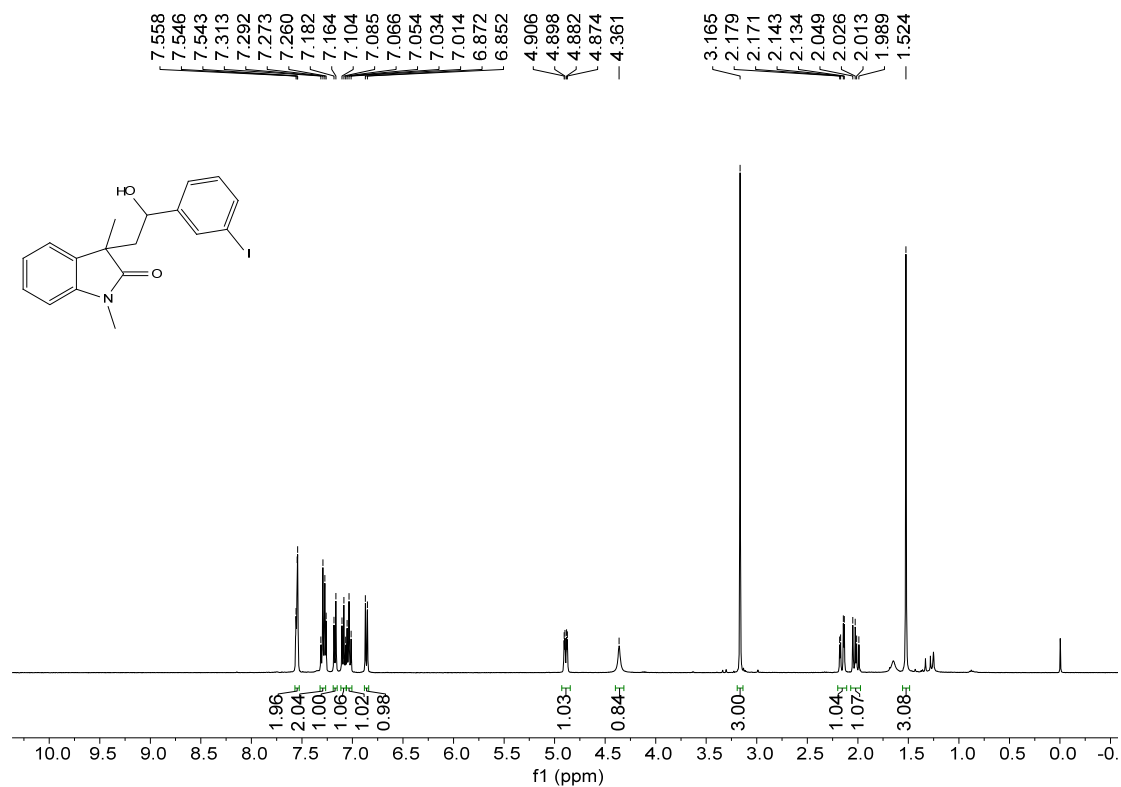


$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **31** (400 MHz, 100 MHz, DMSO-*d*<sub>6</sub>)

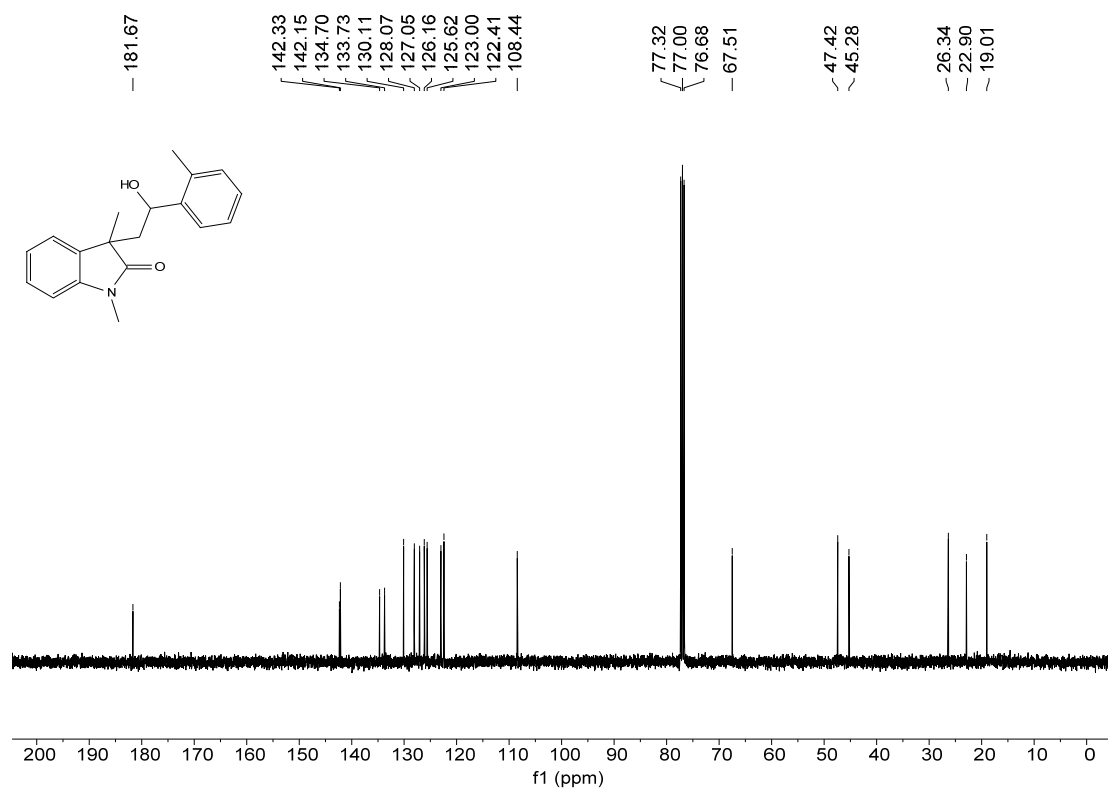
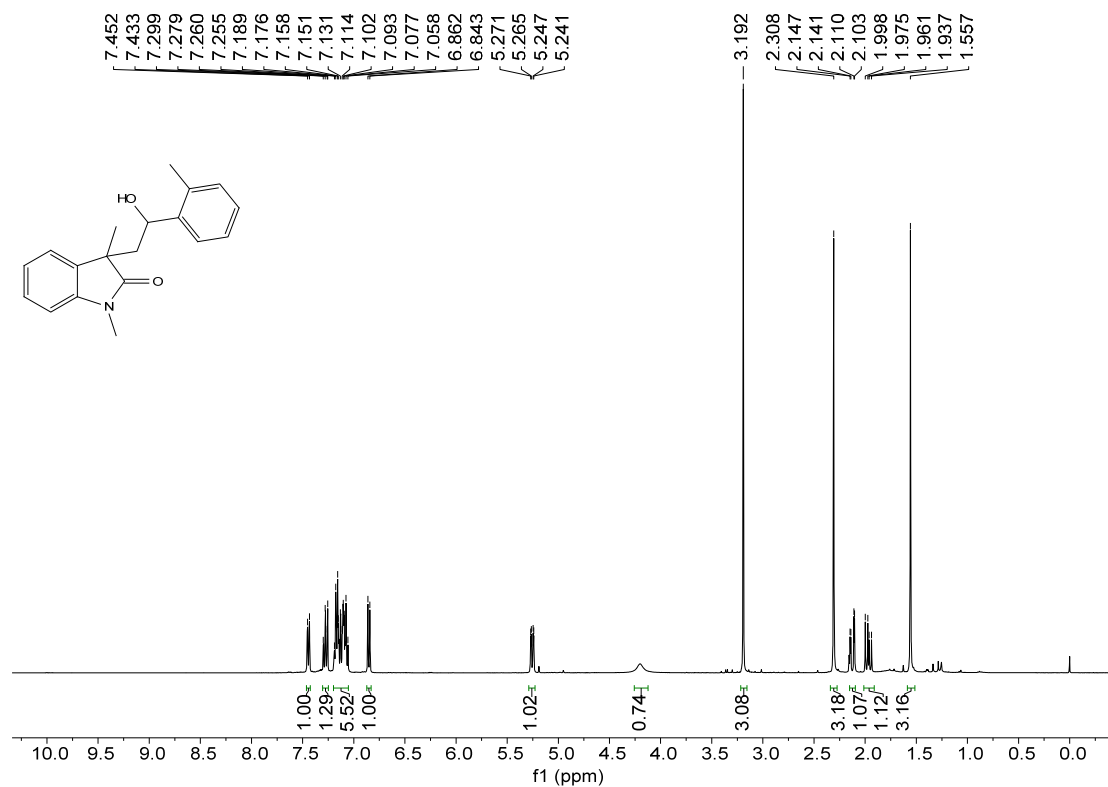




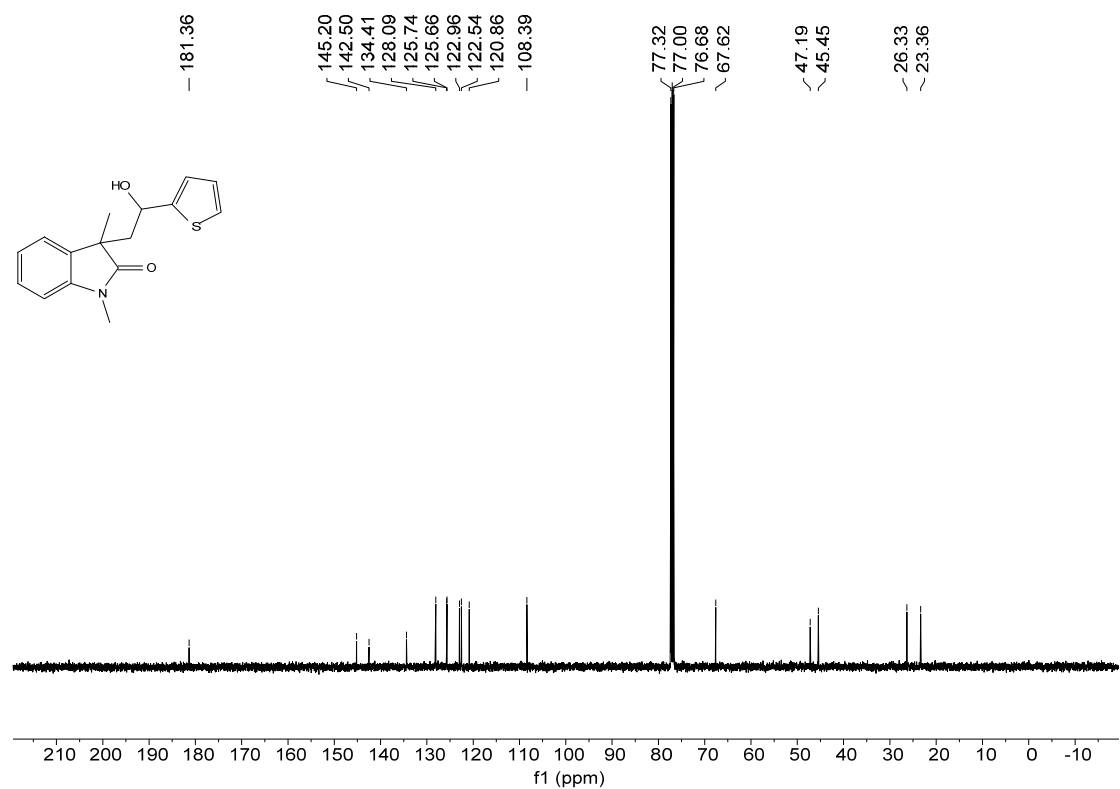
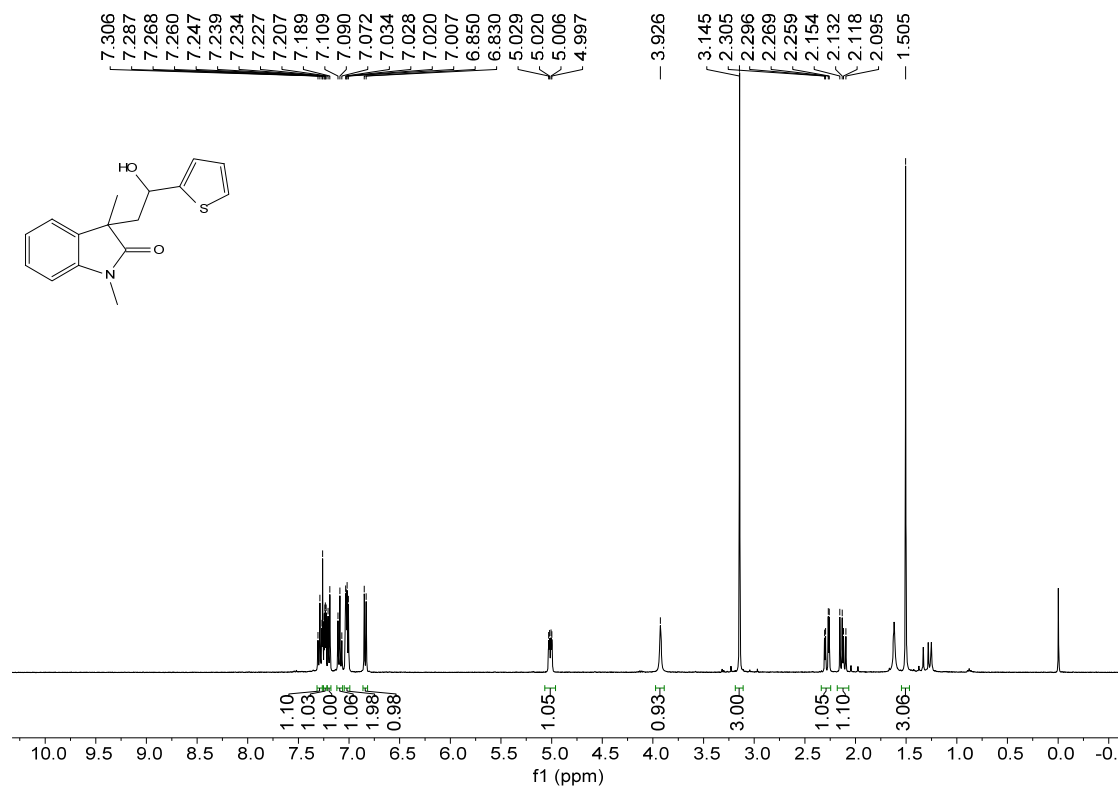
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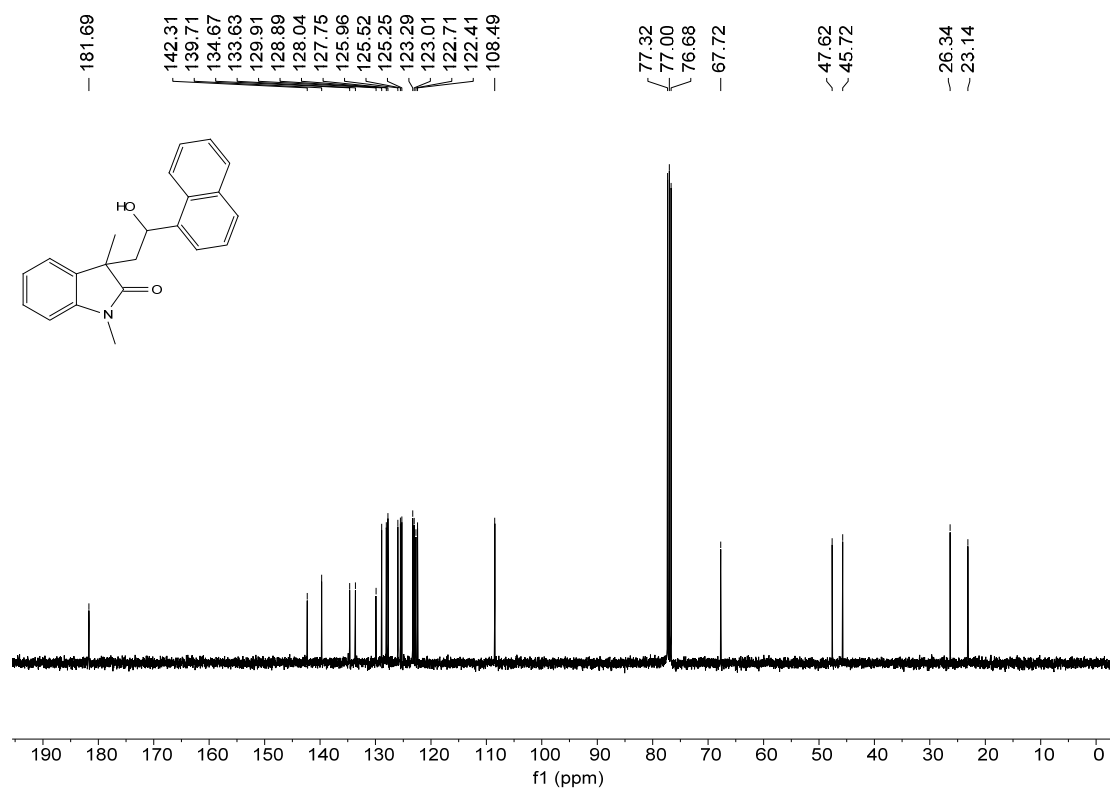
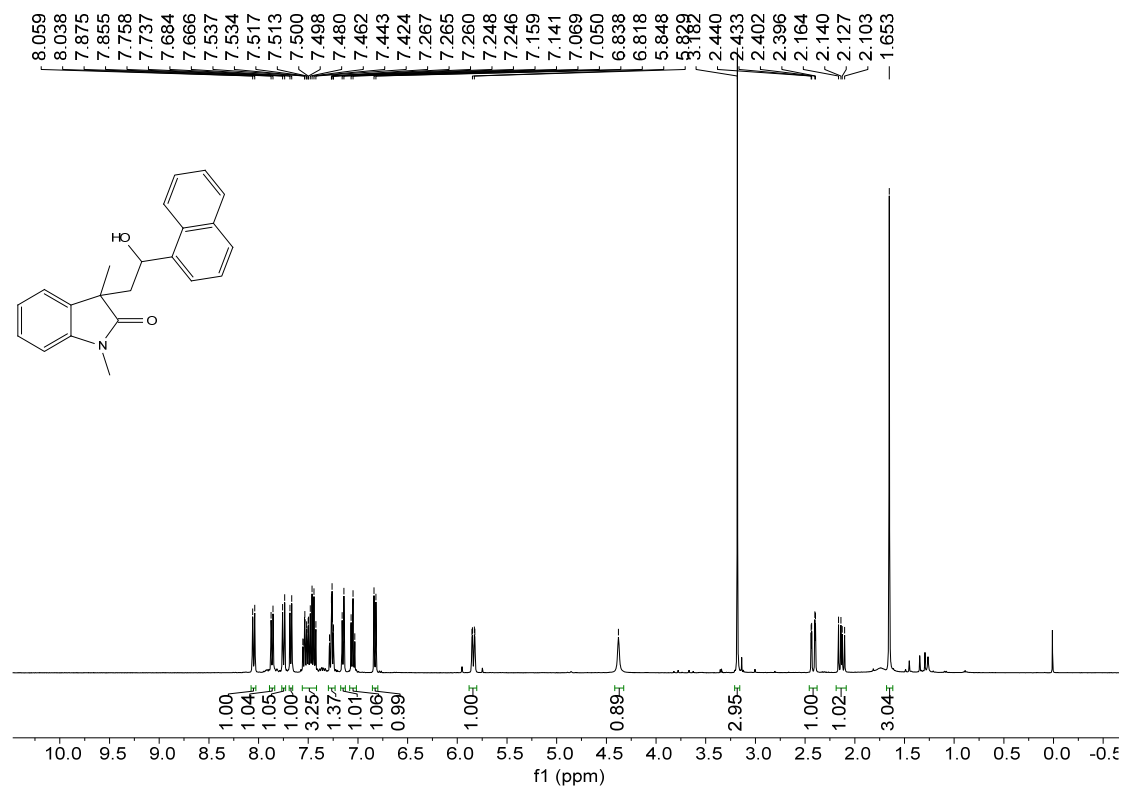
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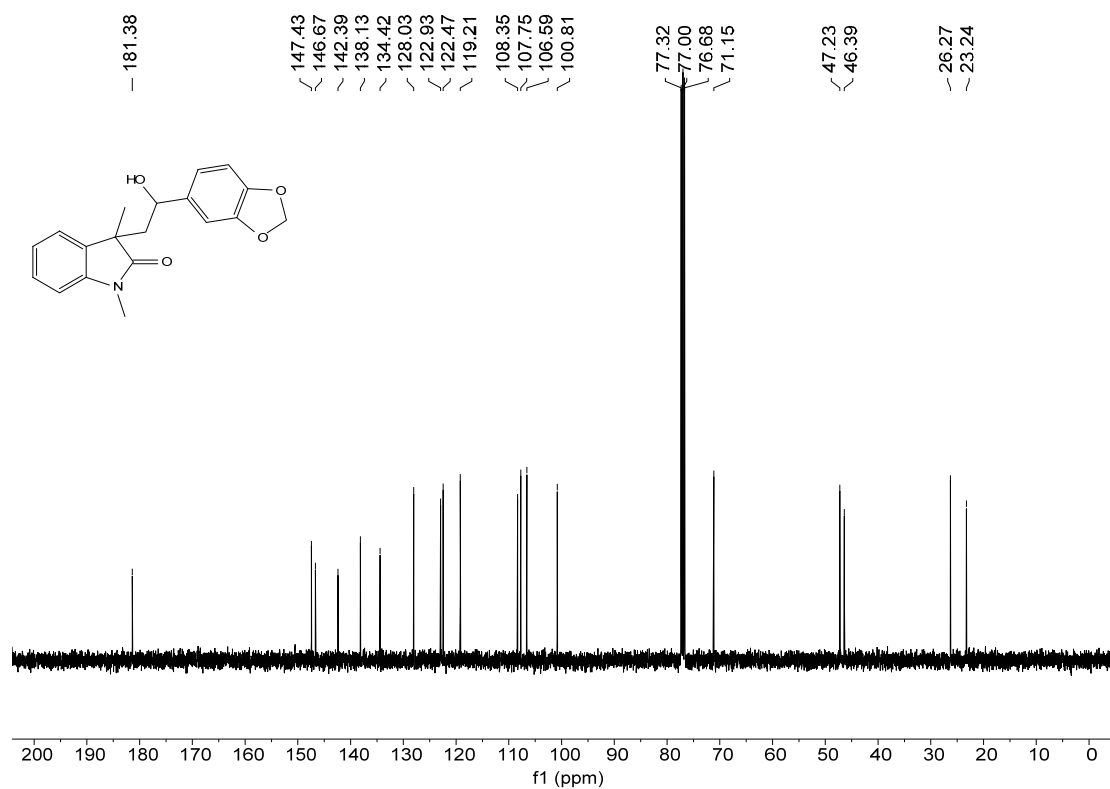
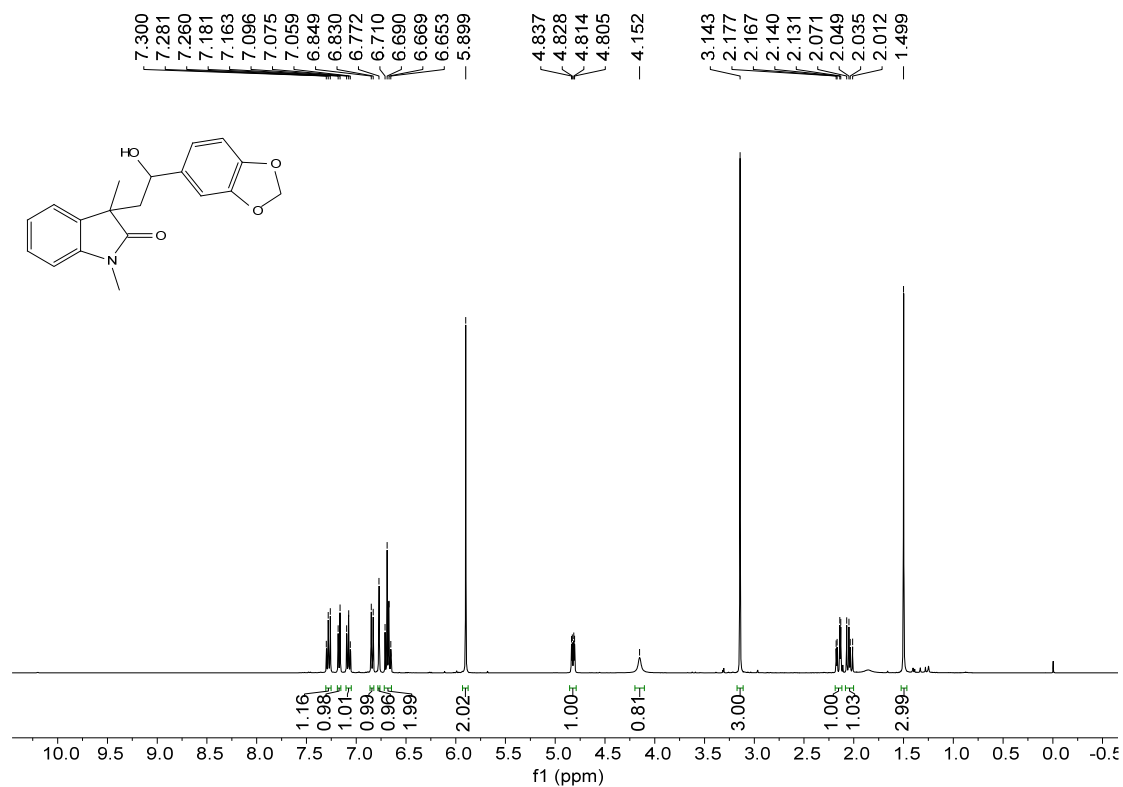
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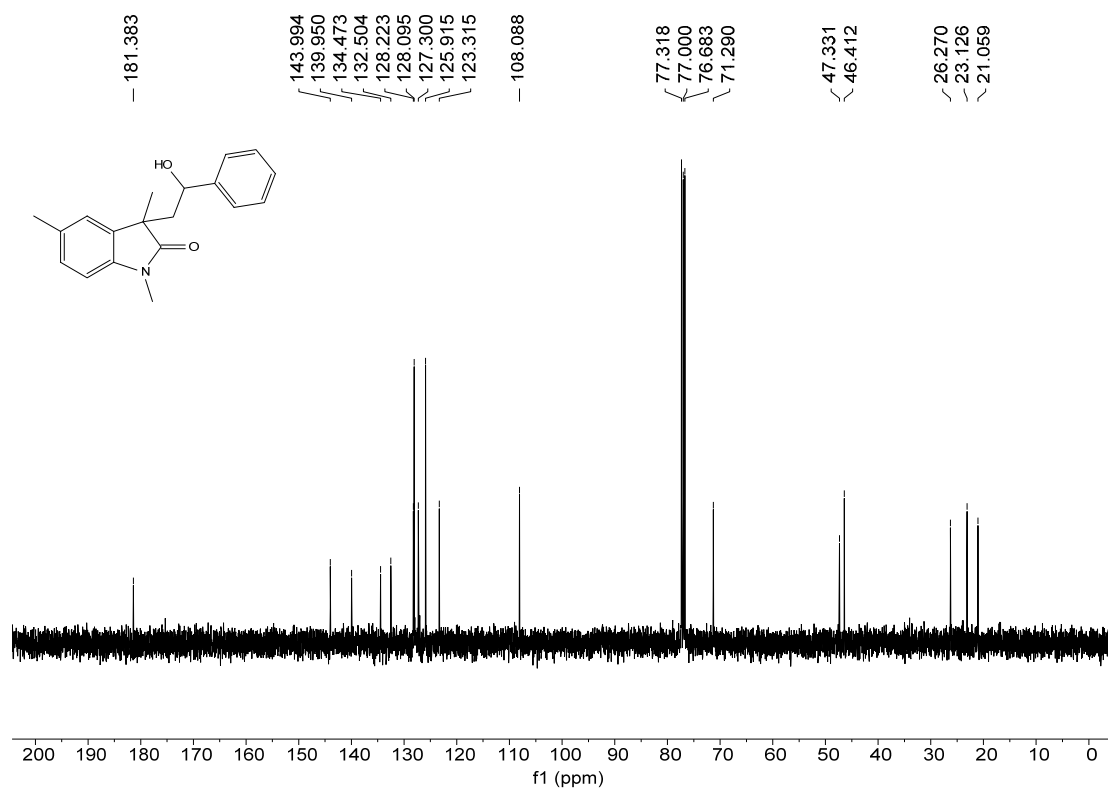
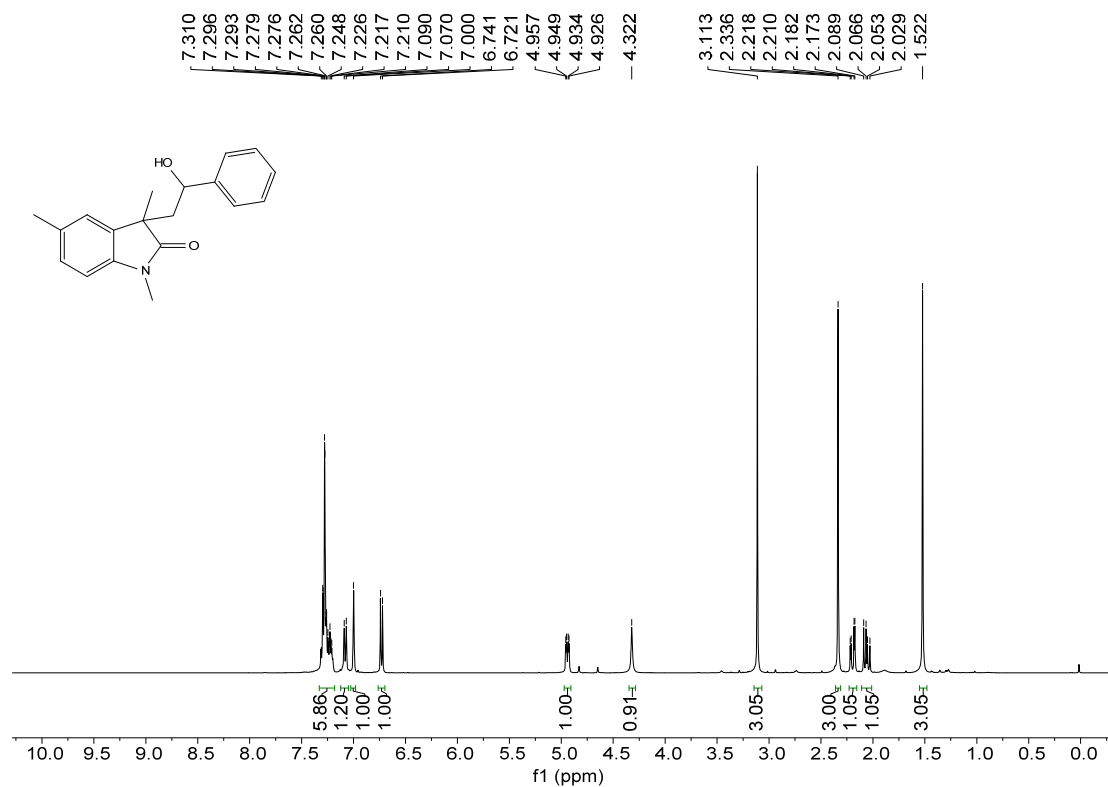
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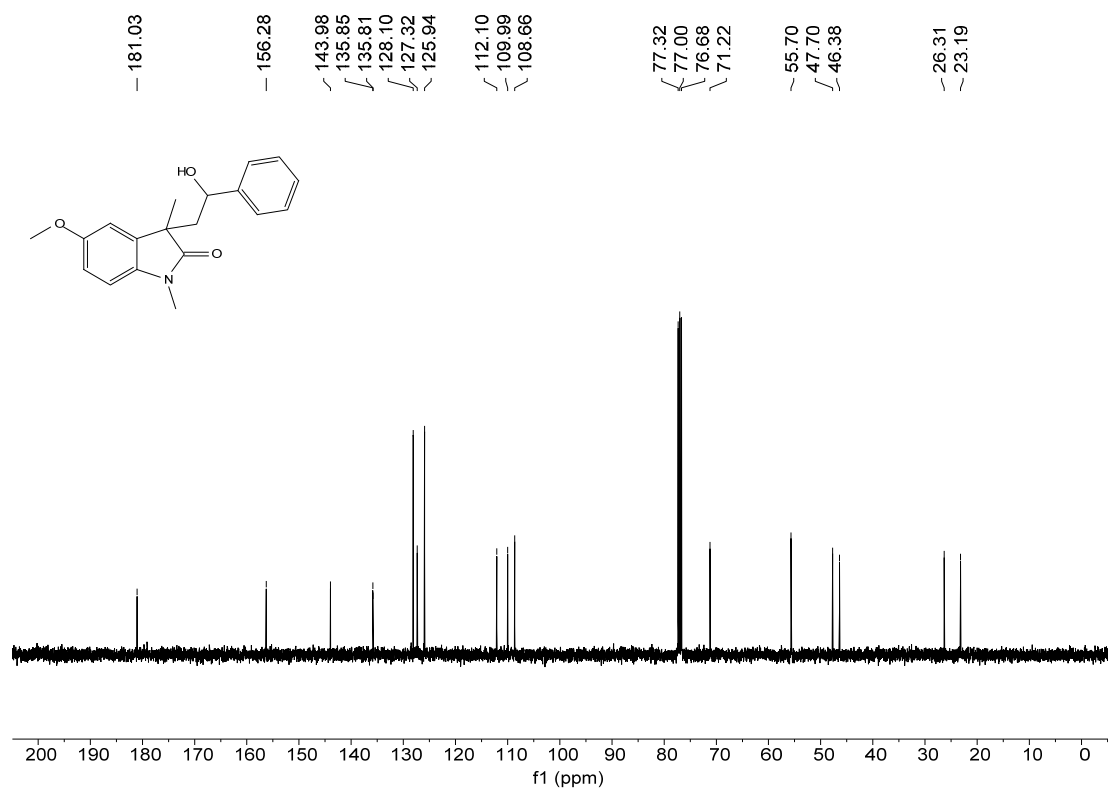
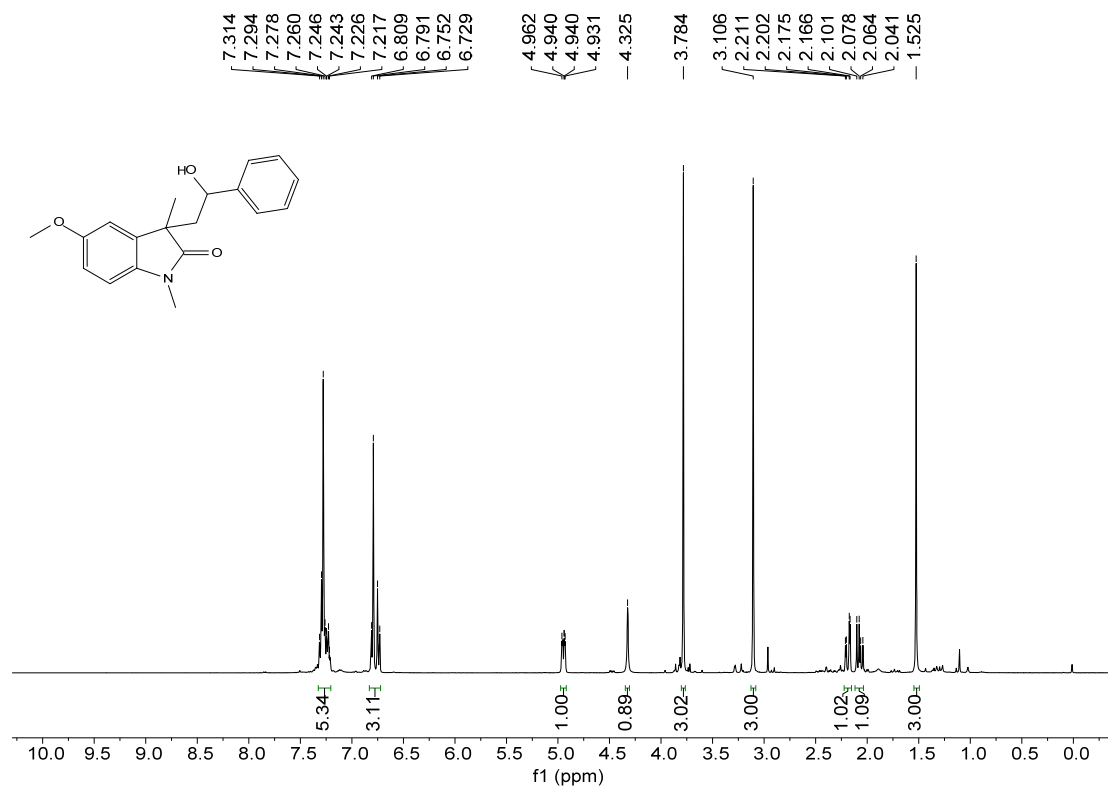
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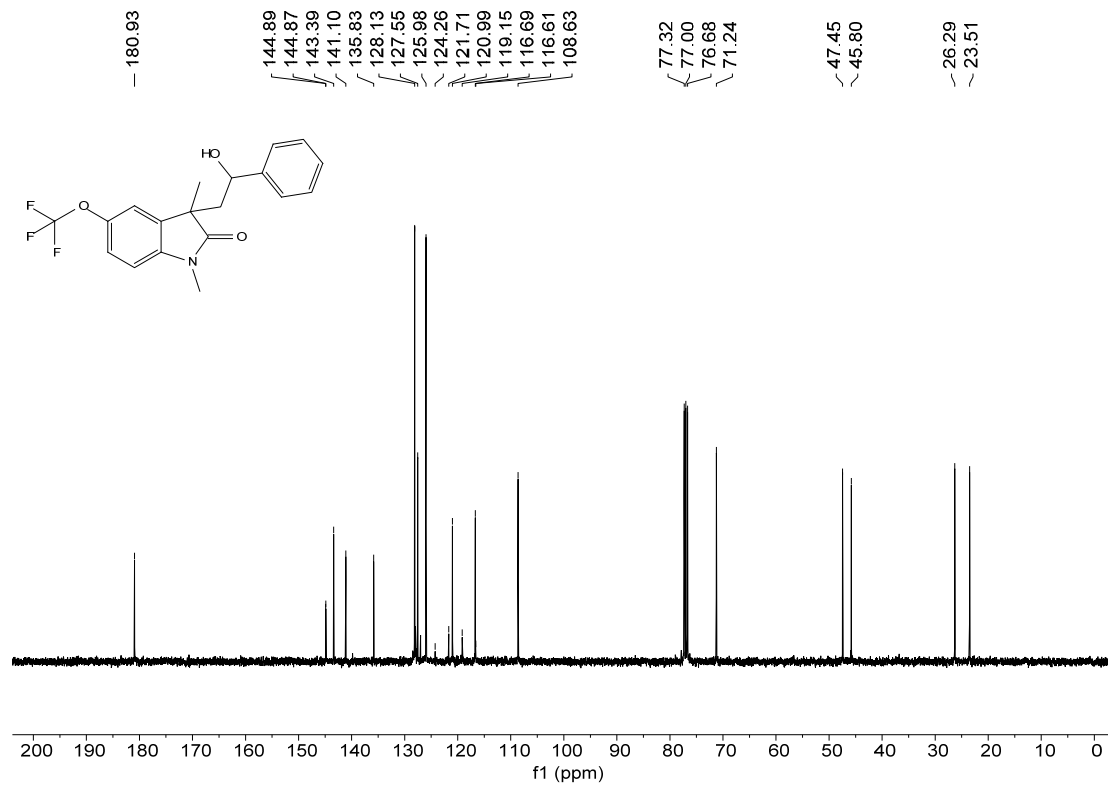
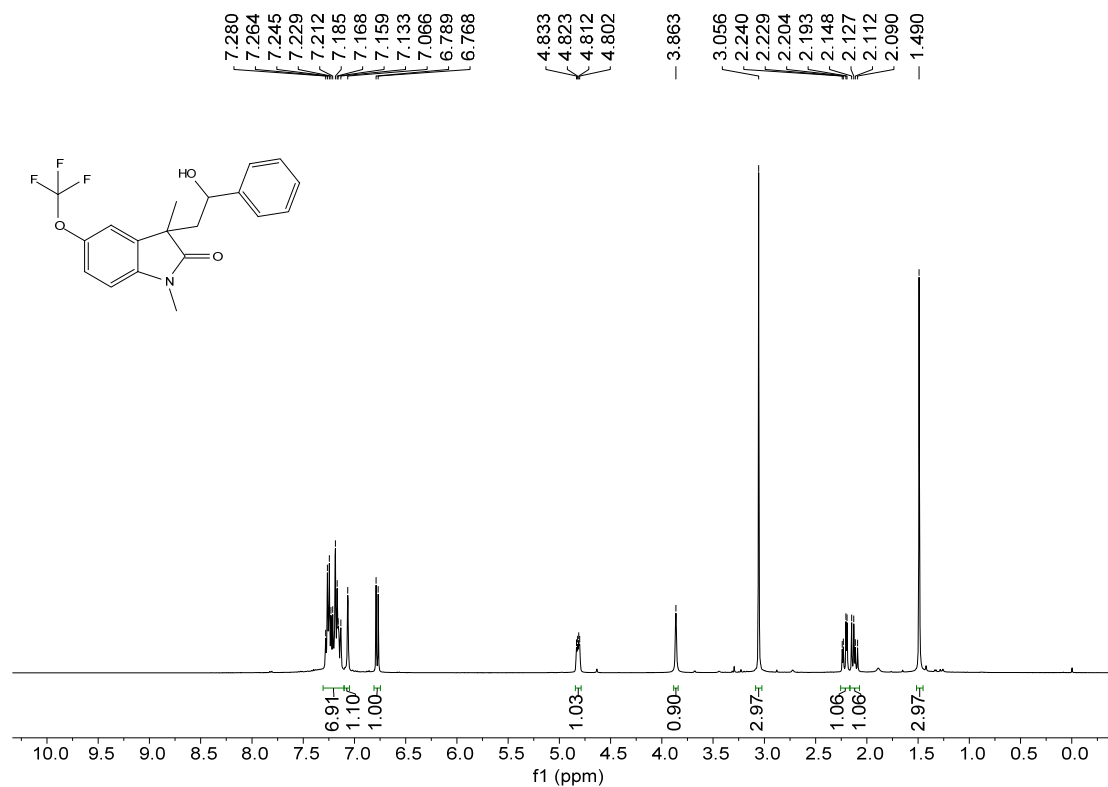
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3r** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3s** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

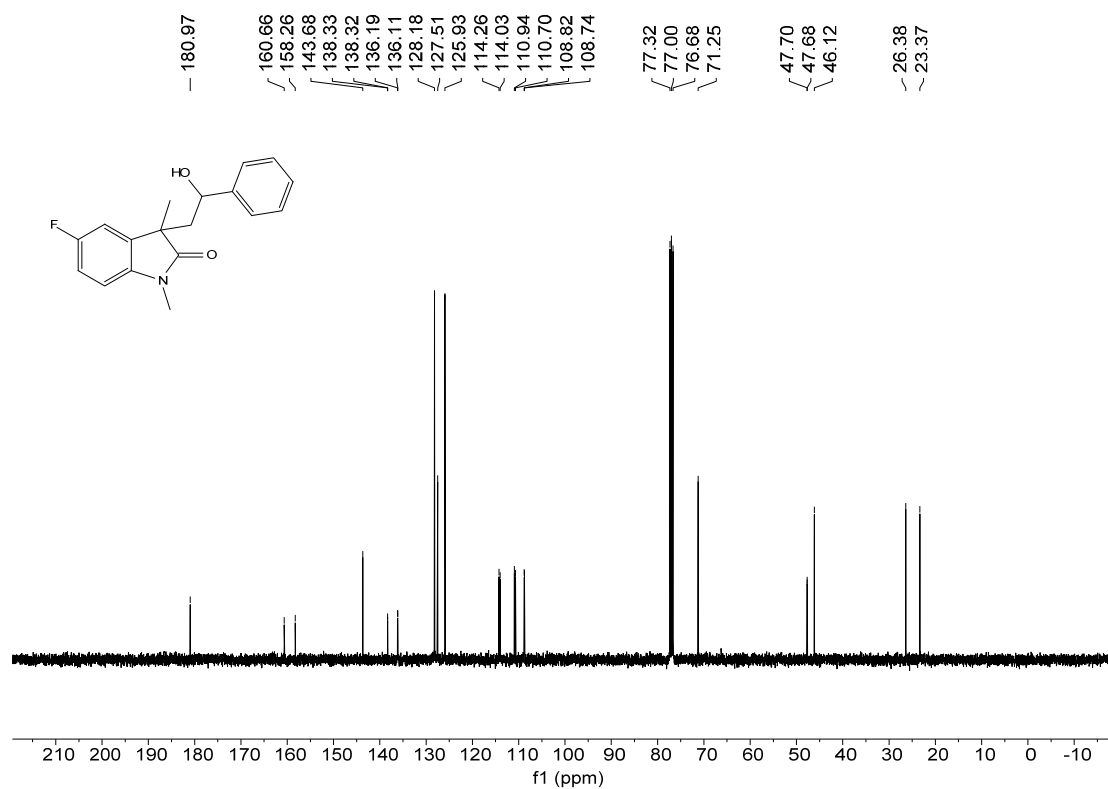
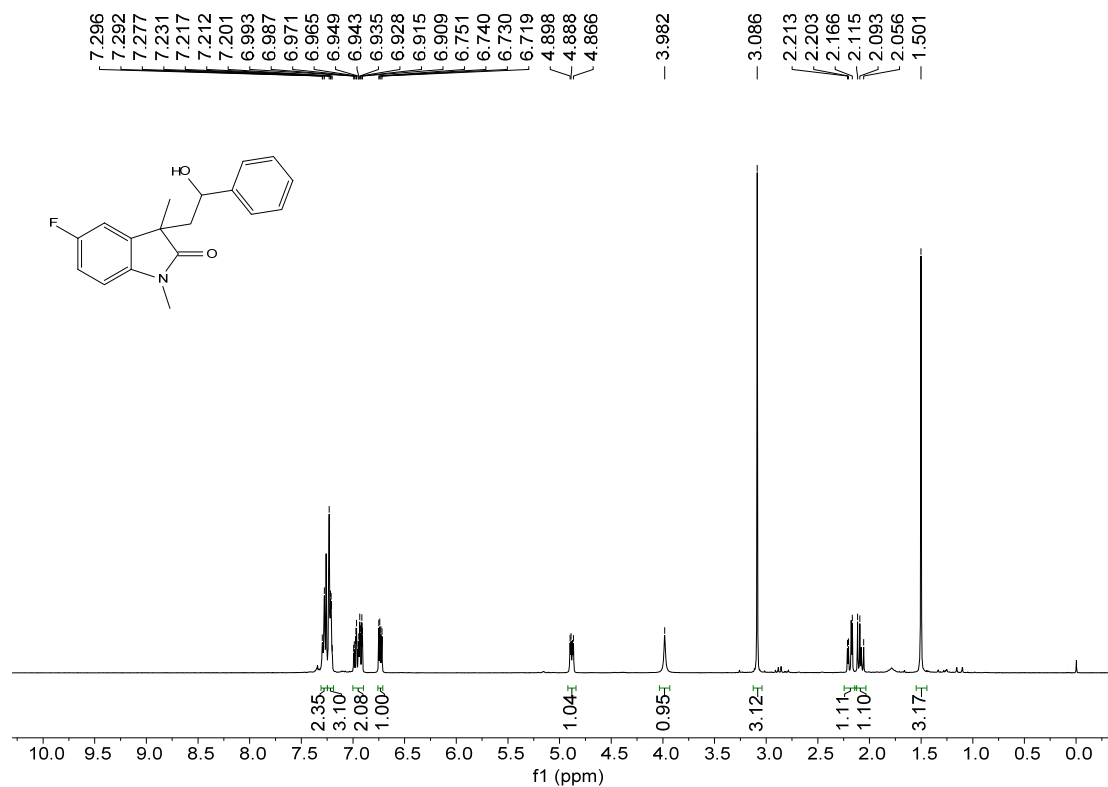


$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3t** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

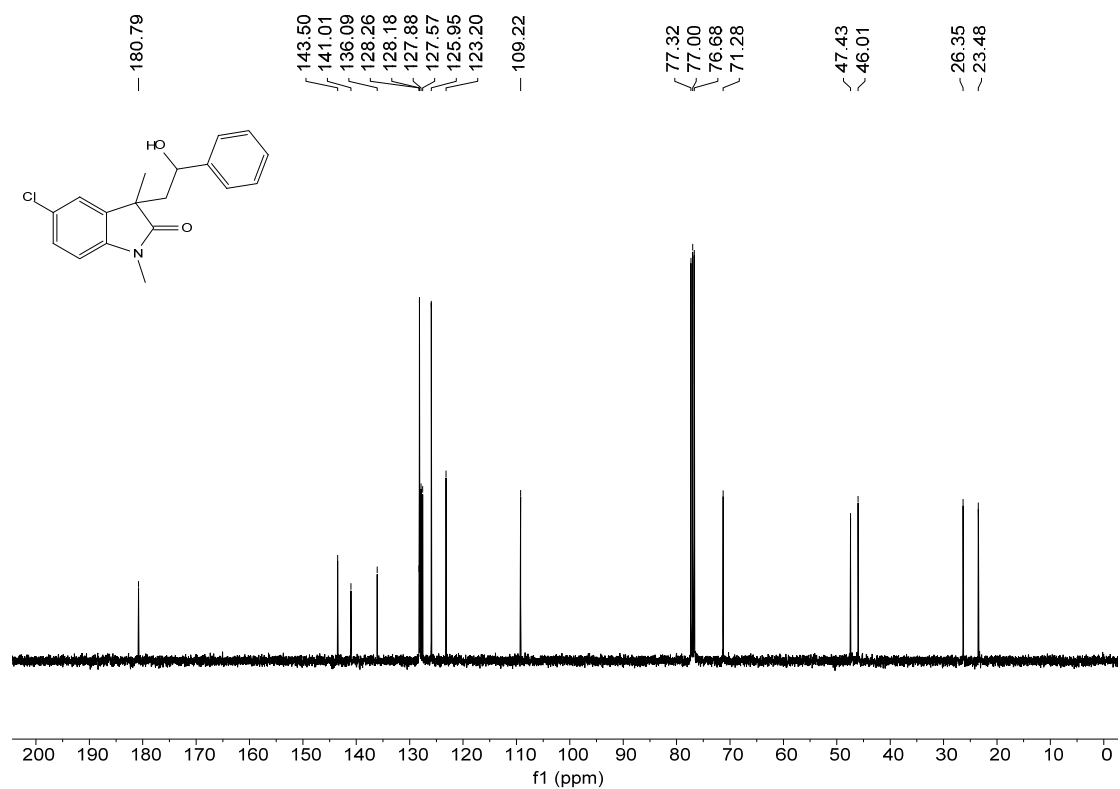
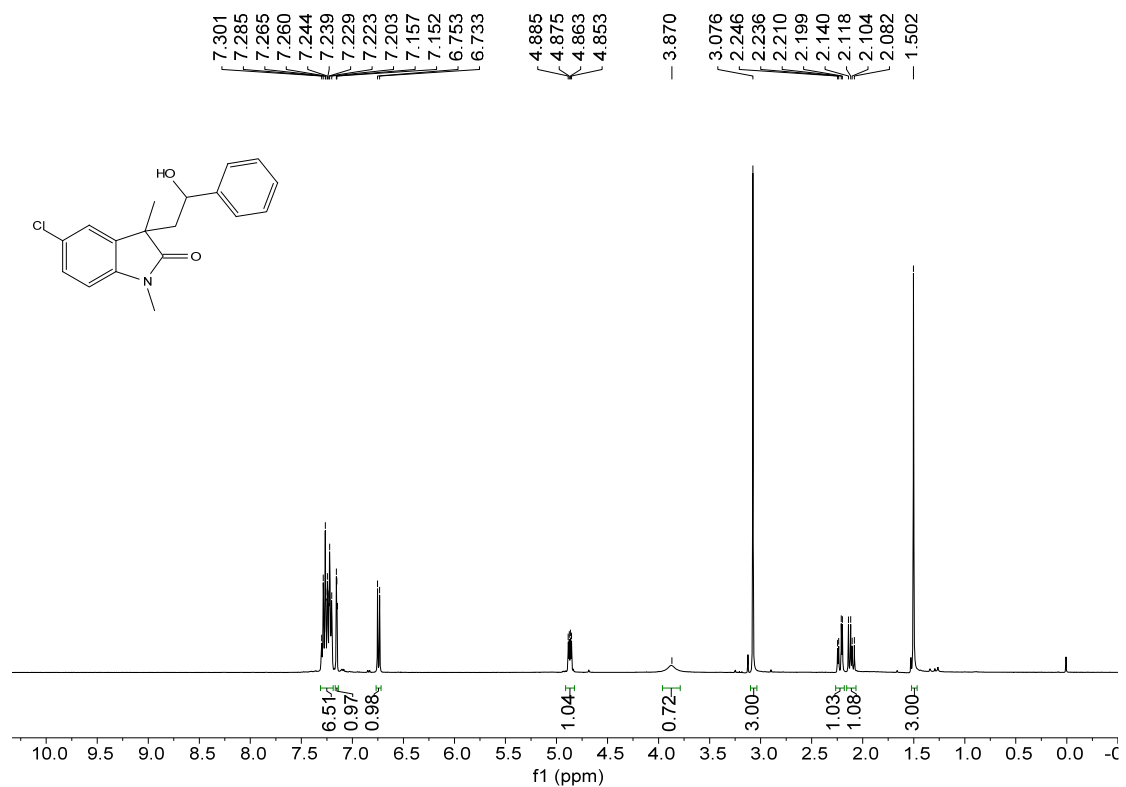




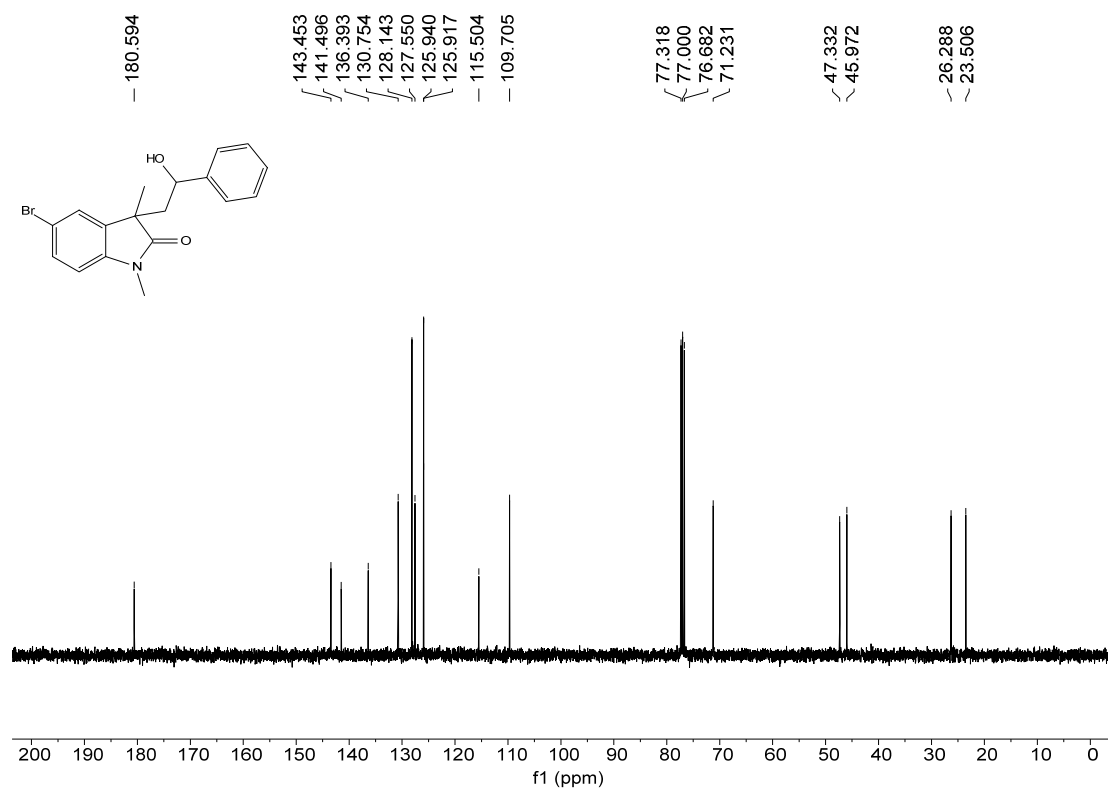
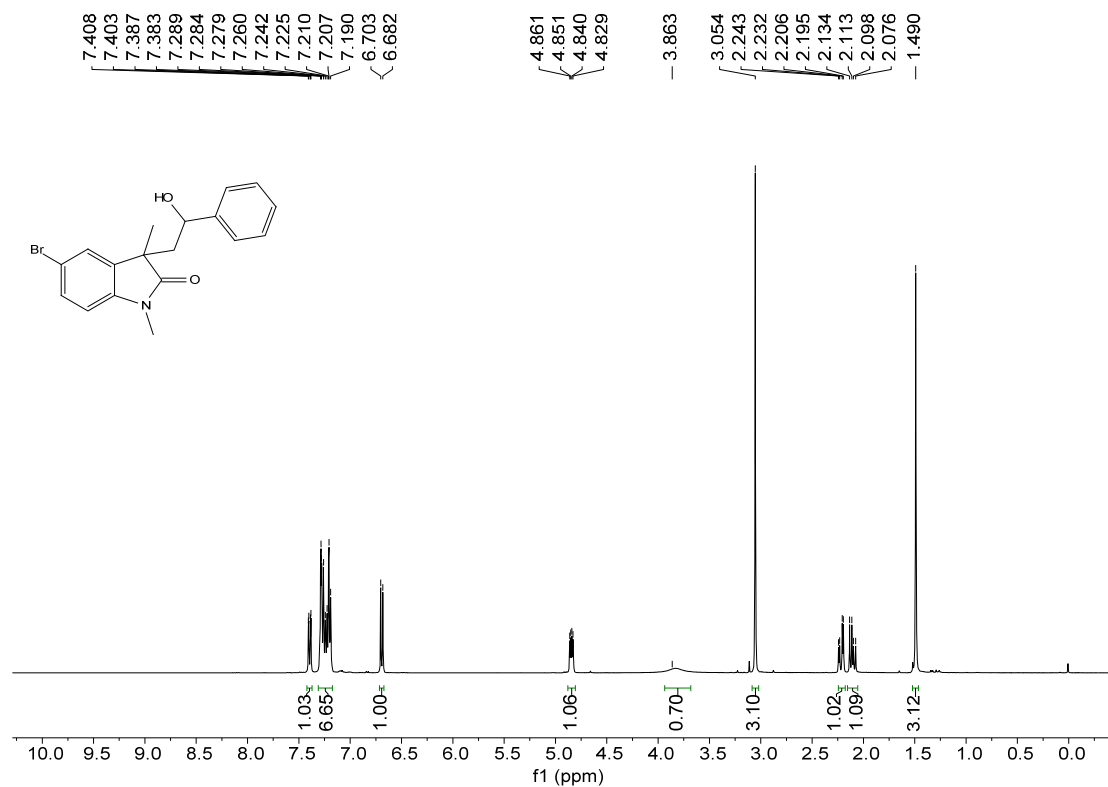
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3u** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



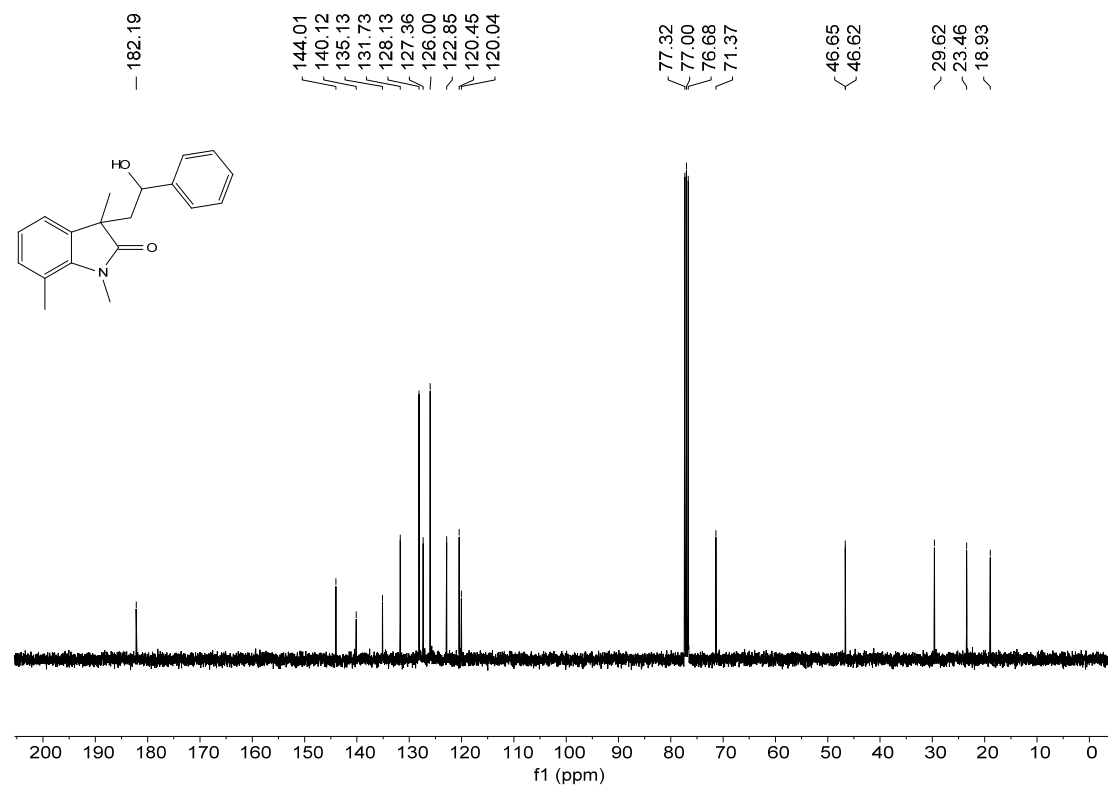
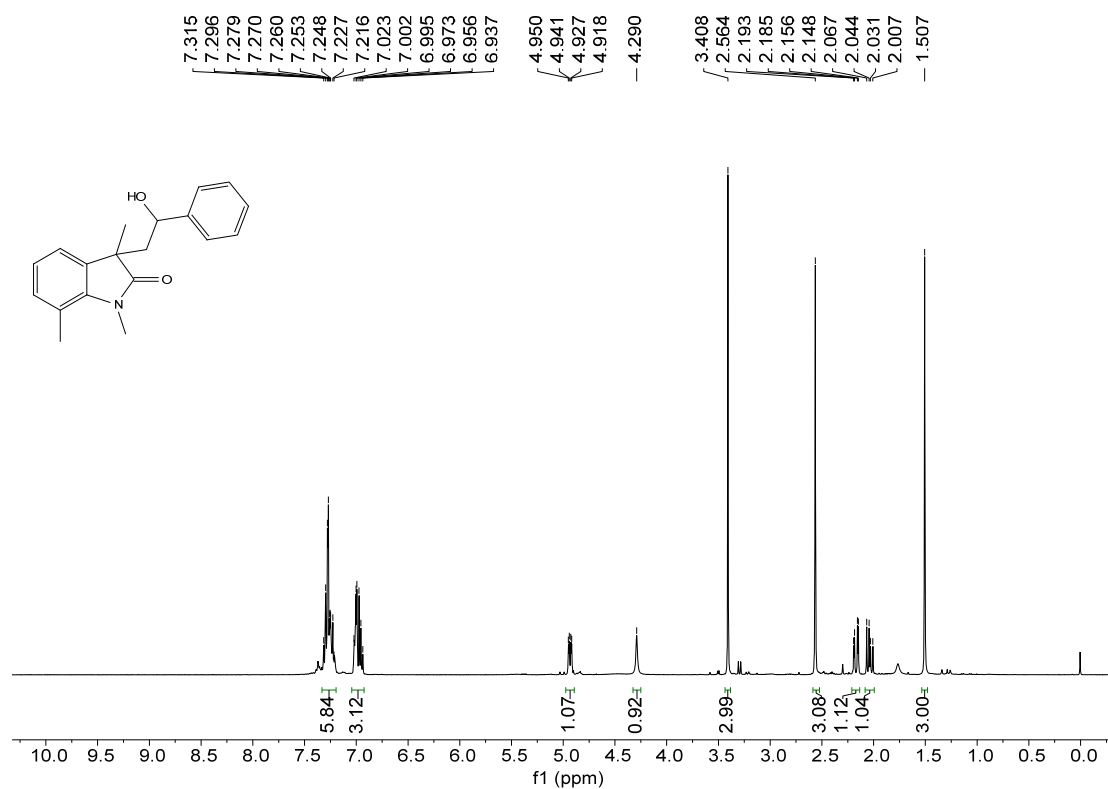
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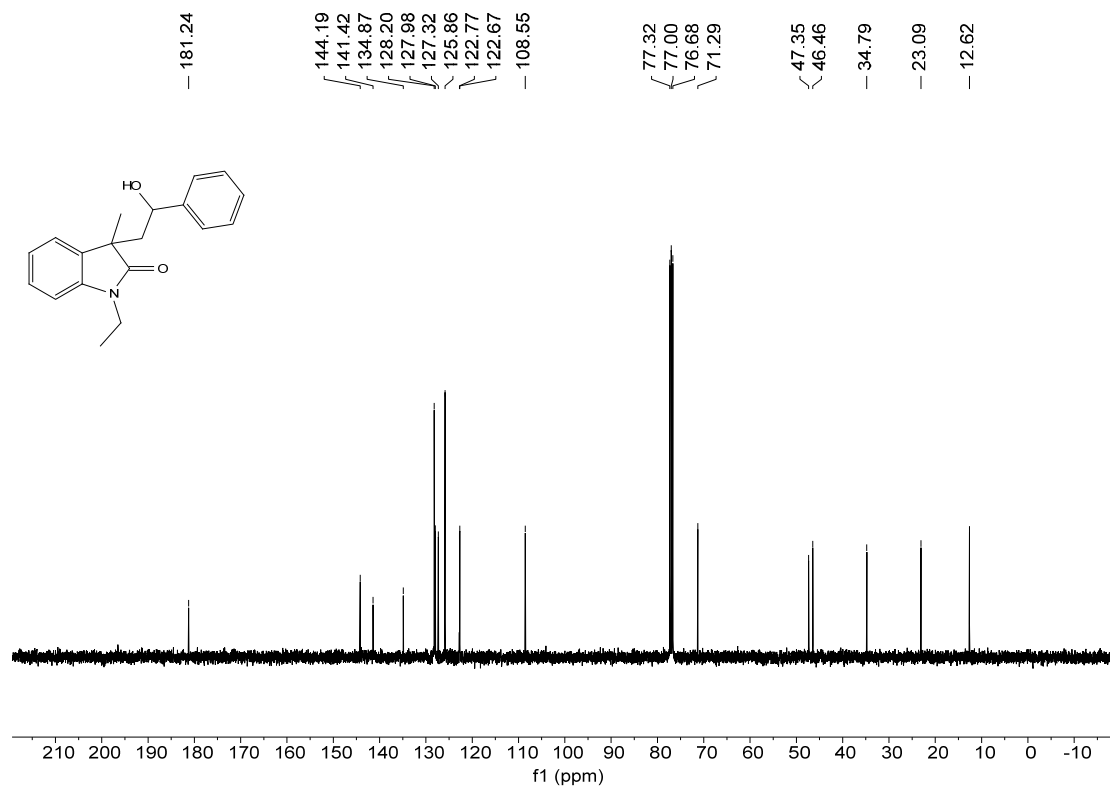
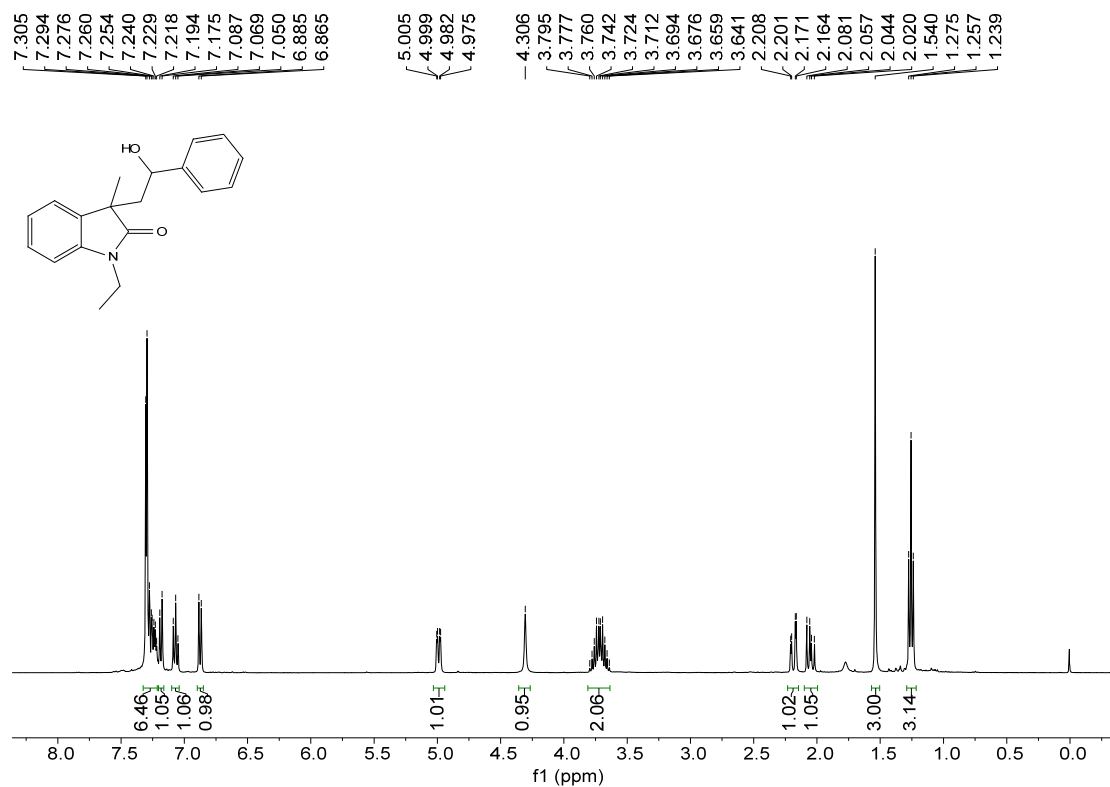
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3w** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



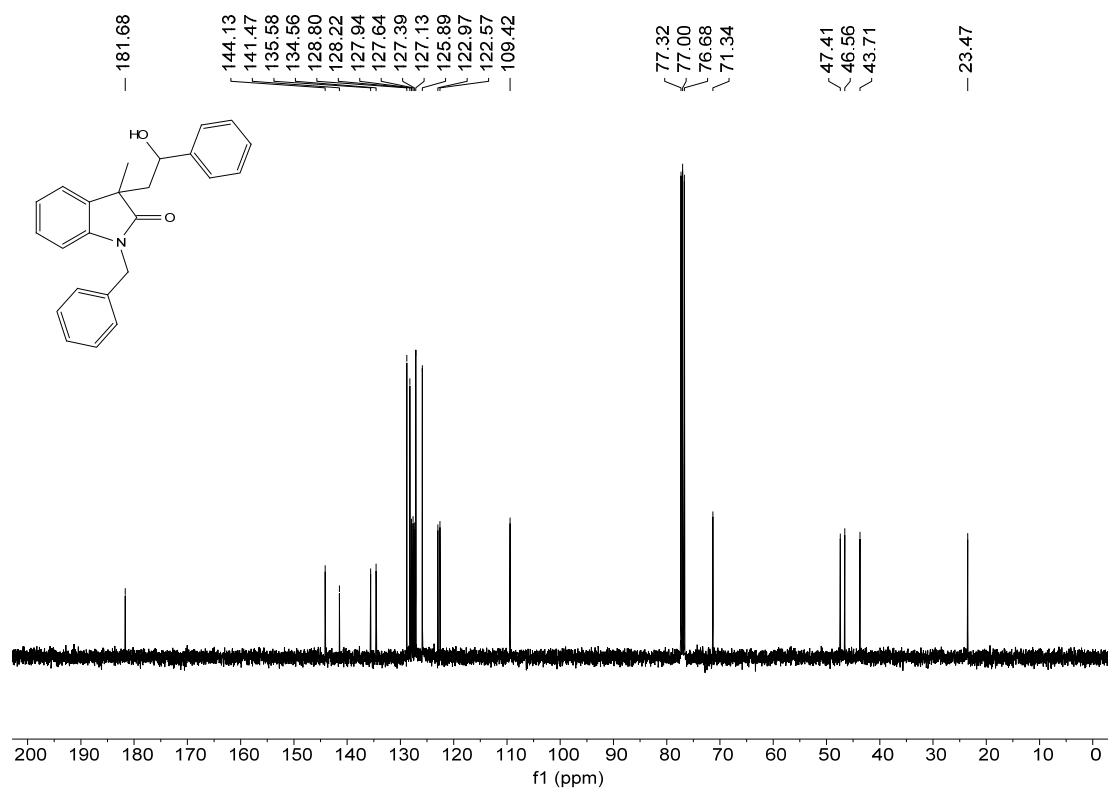
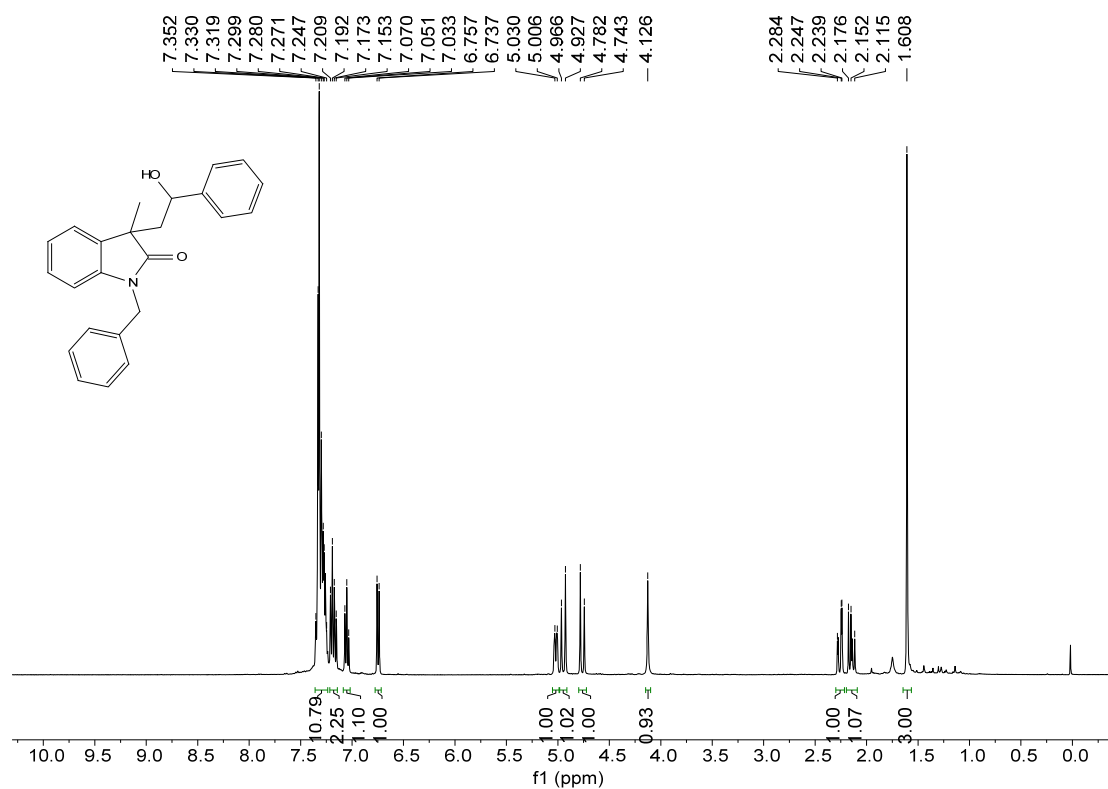
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3x** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



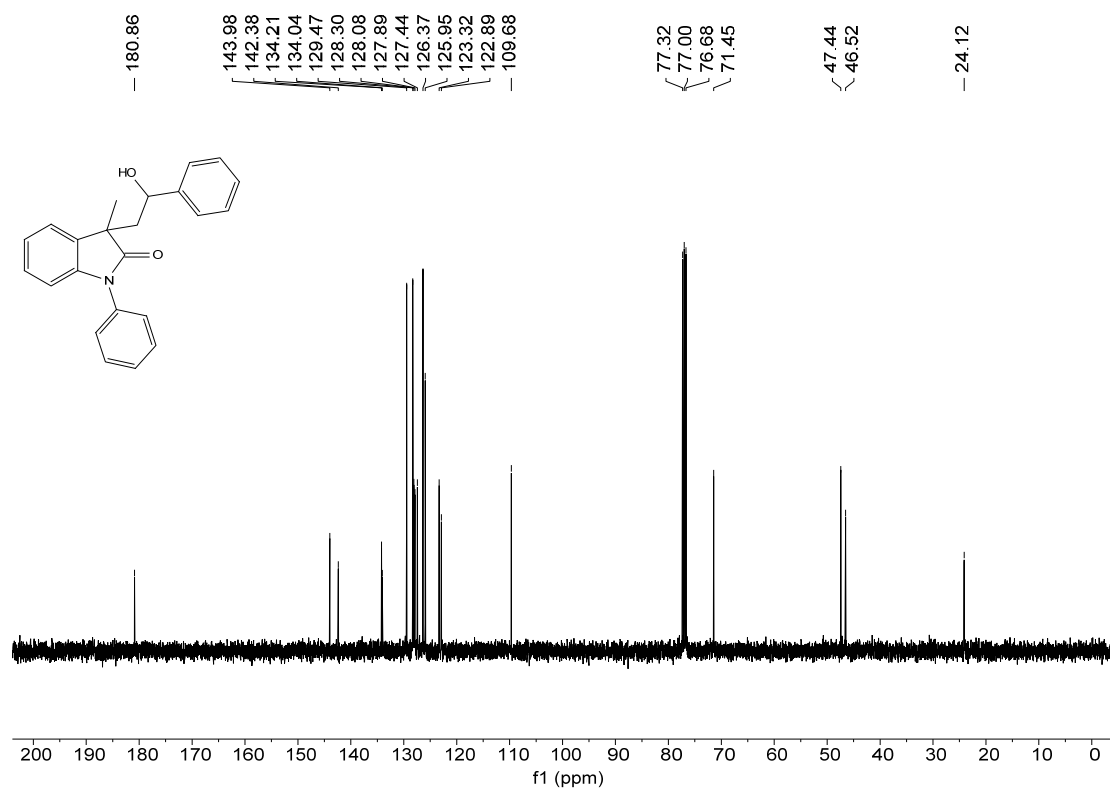
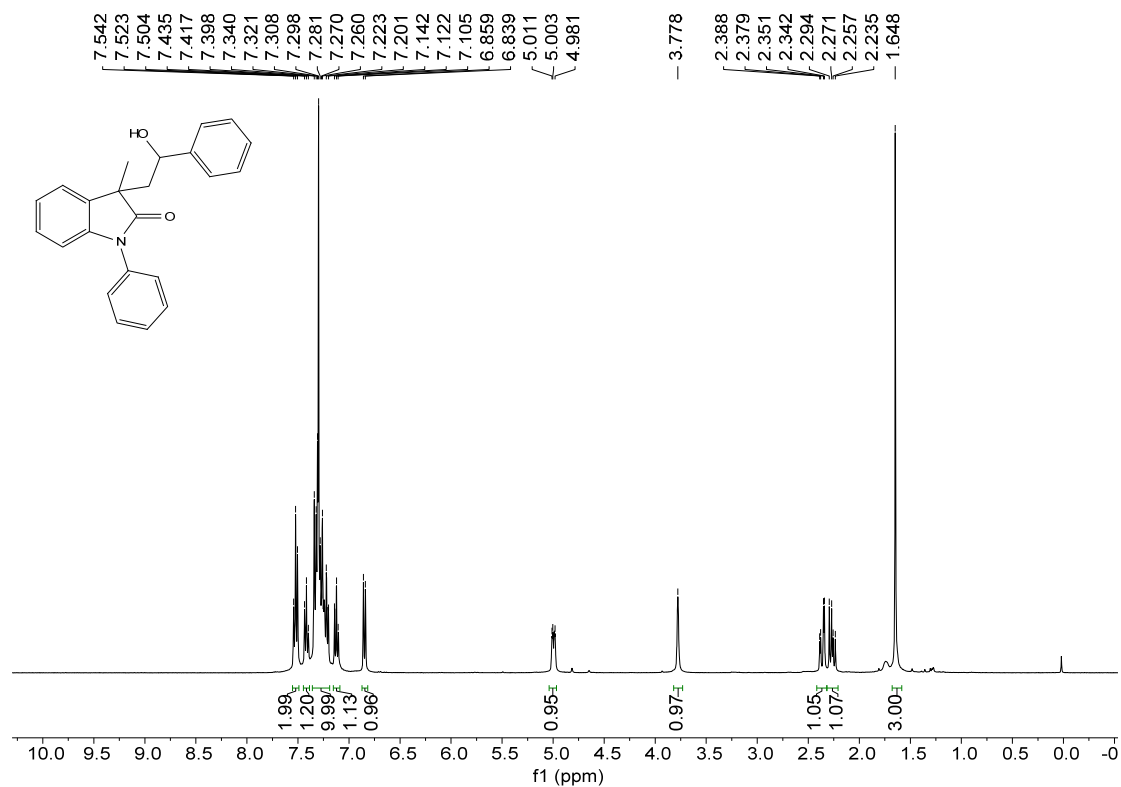
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3y** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



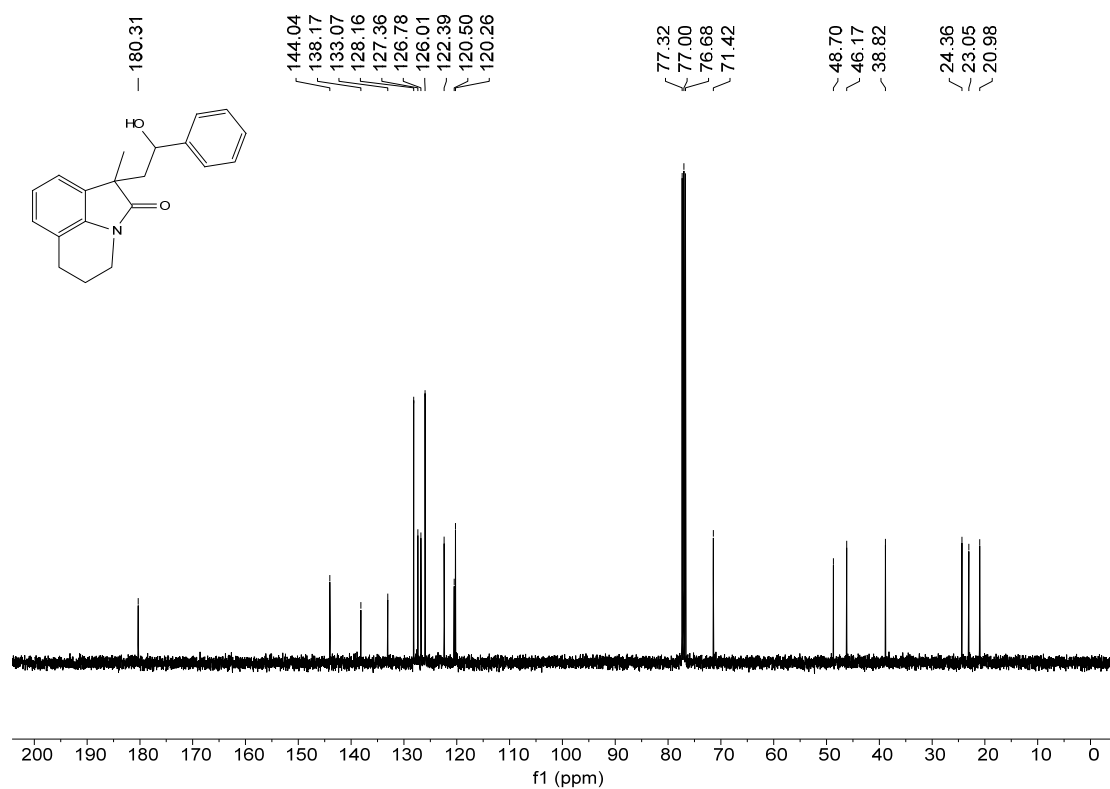
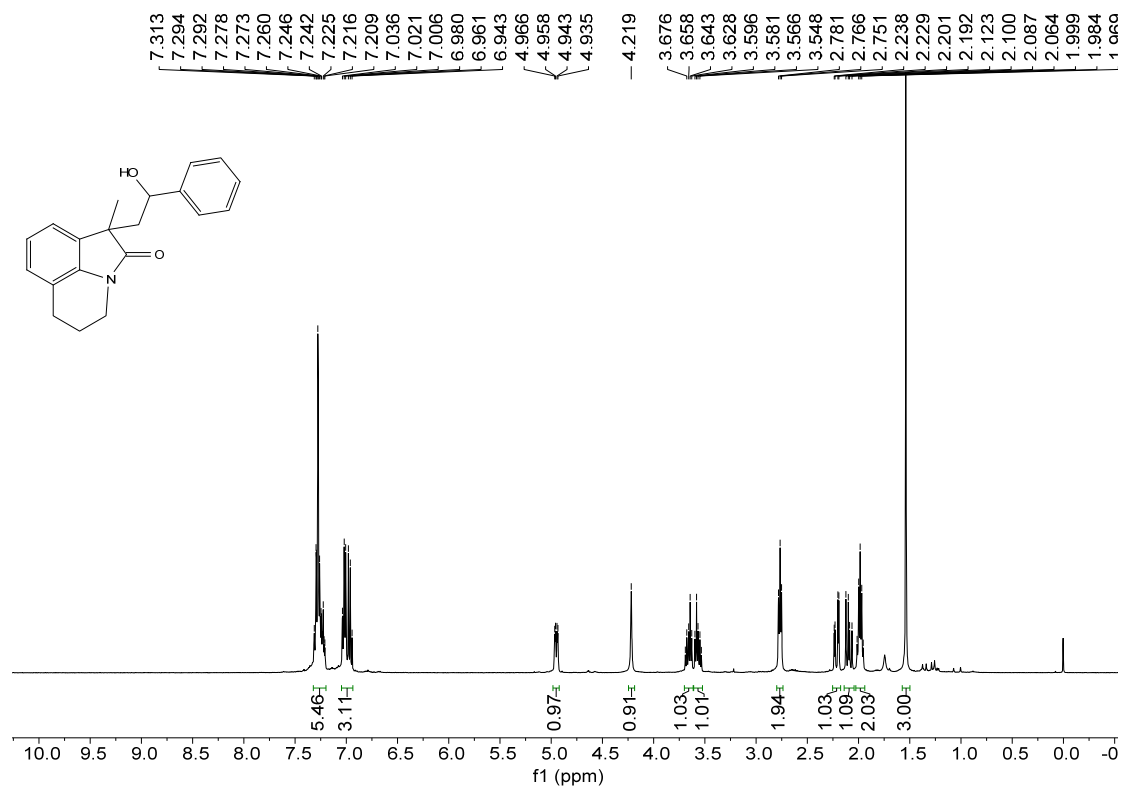
$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3z** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3aa** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

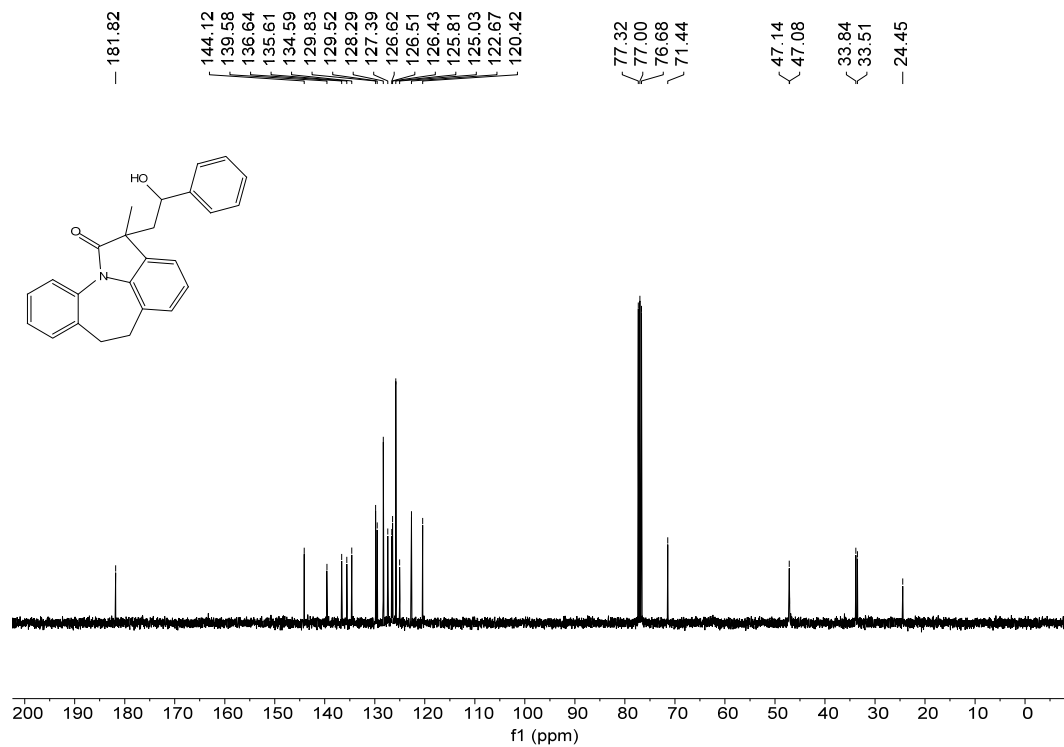
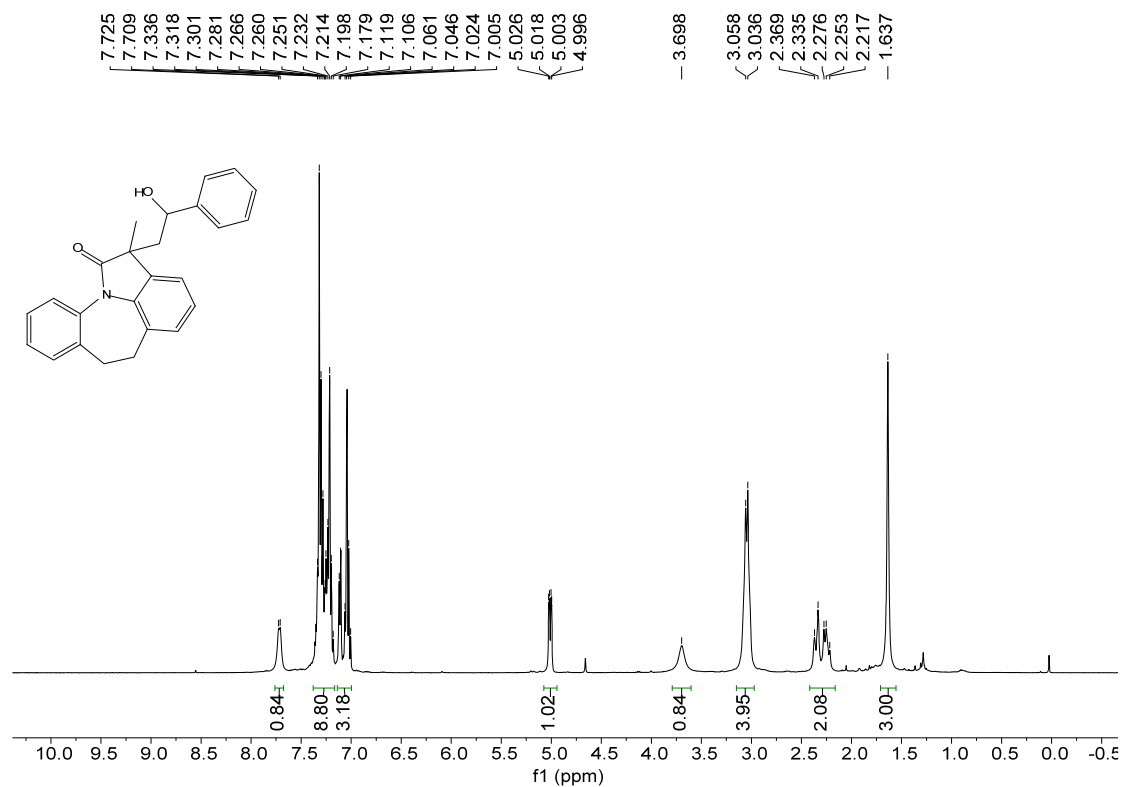


$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3ab** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

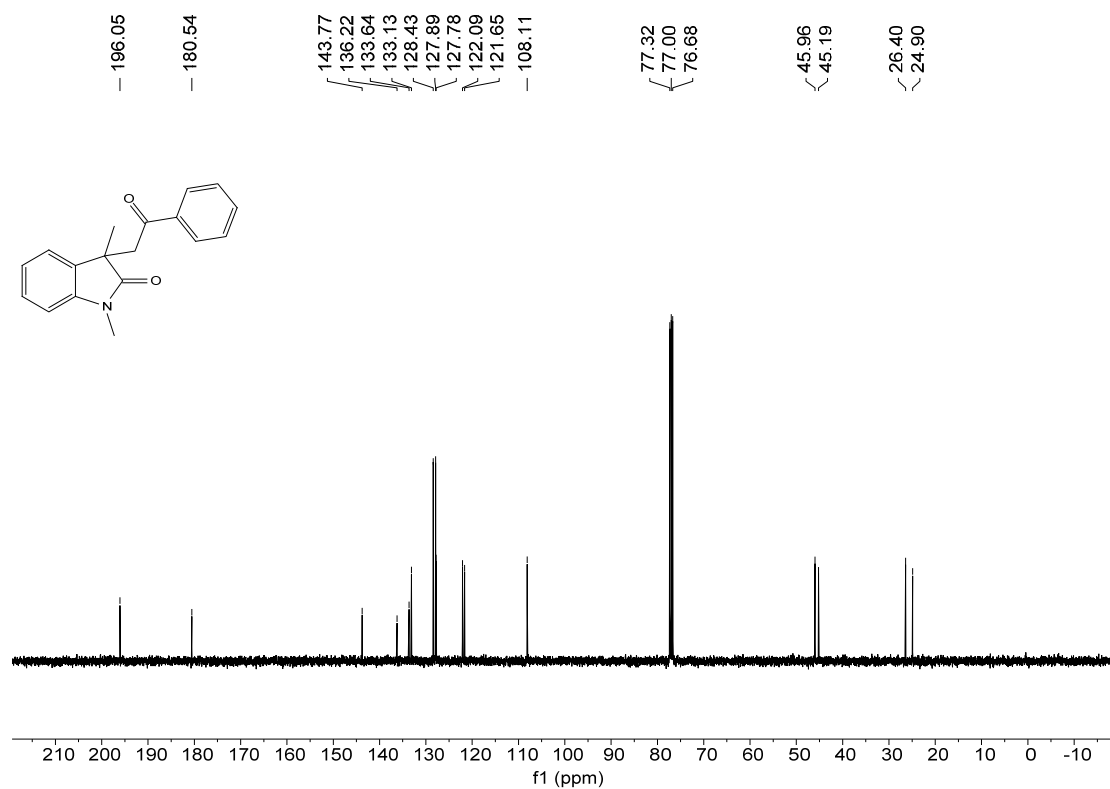
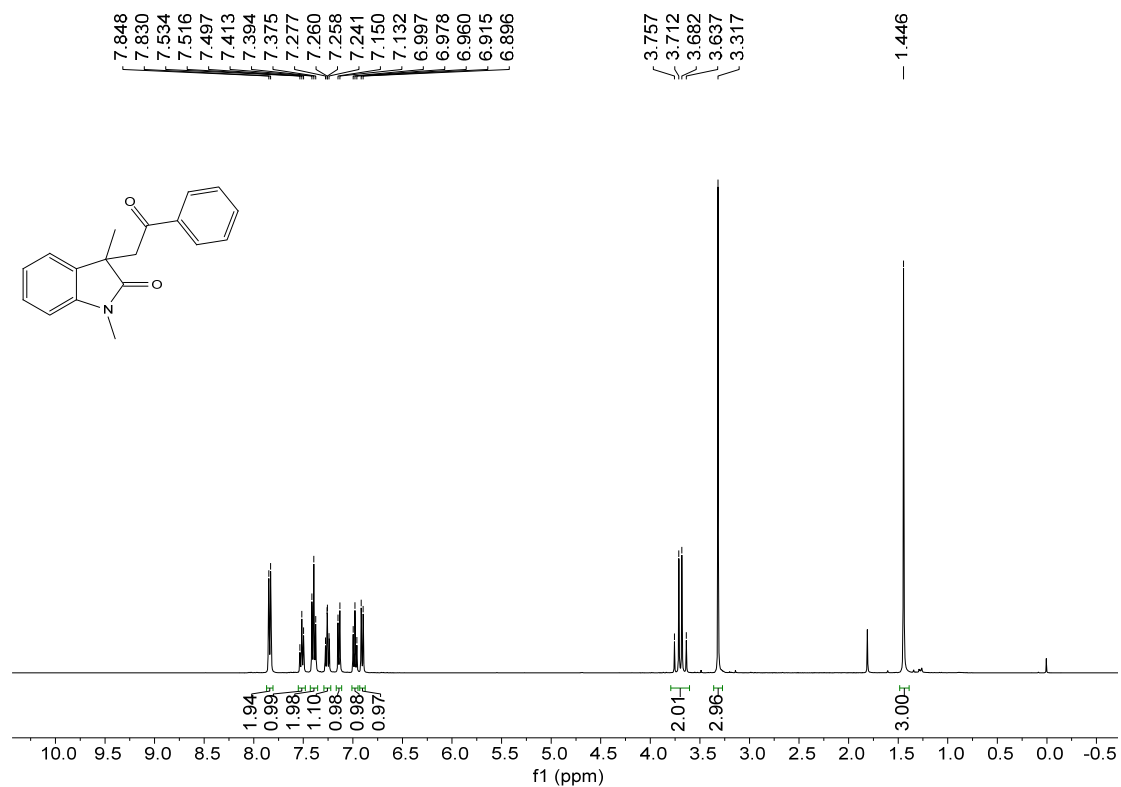




$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **3ac** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **4a** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )



$^1\text{H}$  and  $^{13}\text{C}$  NMR spectra of **4b** (400 MHz, 100 MHz,  $\text{CDCl}_3$ )

