

## Supporting Information

### Catalytic asymmetric synthesis of chiral phenols in ethanol with recyclable rhodium catalyst

Jian Yao, Na Liu, Long Yin, Junhao Xing, Tao Lu and Xiaowei Dou\*

Department of Chemistry and State Key Laboratory of Natural Medicines, China Pharmaceutical  
University, Nanjing 211198, China

Email: dxw@cpu.edu.cn

## Contents

1. General Information.....	S2
2. Materials .....	S2
3. A General Procedure for Table 1 .....	S3
4. Procedures for Table 2 & Table 3.....	S3
5. Procedures for Scheme 2 .....	S4
6. Procedures for Scheme 3 .....	S7
7. Procedures for Scheme 4 .....	S7
8. Characterization of the Products.....	S9
9. References.....	S25
10. NMR Spectra .....	S26
11. HPLC Charts.....	S68

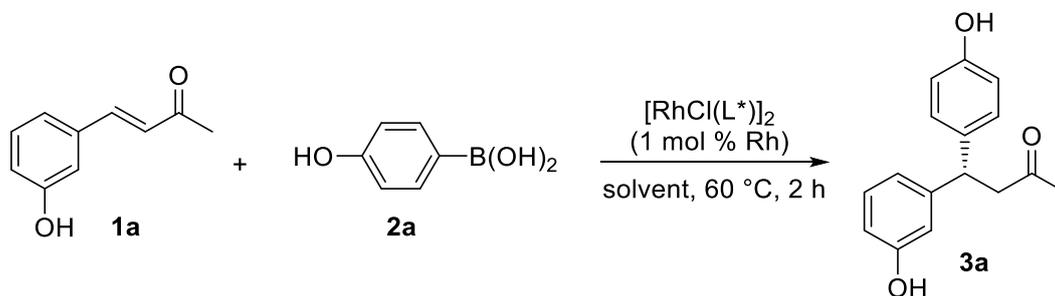
## 1. General Information

All air-sensitive manipulations were carried out with standard Schlenk techniques under nitrogen or argon. NMR spectra were recorded on Bruker AVANCE AV-500 spectrometer (500 MHz for  $^1\text{H}$ , 125 MHz for  $^{13}\text{C}$ ), Bruker AVANCE AV-400 spectrometer (400 MHz for  $^1\text{H}$ , 101 MHz for  $^{13}\text{C}$ ) or Bruker AVANCE AV-300 spectrometer (300 MHz for  $^1\text{H}$ , 75 MHz for  $^{13}\text{C}$ ). Chemical shifts were reported in  $\delta$  (ppm) referenced to the residual solvent peak of  $\text{CDCl}_3$  ( $\delta$  7.26) for  $^1\text{H}$  NMR and  $\text{CDCl}_3$  ( $\delta$  77.0) for  $^{13}\text{C}$  NMR. Multiplicity was indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), br (broad). Coupling constants were reported in Hertz (Hz). Specific rotations were measured on an ANTON PAAR MCP 100 automatic polarimeter. High resolution mass spectra (HRMS) were obtained on Thermo Scientific LTQ Orbitrap XL (ESI). For thin layer chromatography (TLC), Yantai pre-coated TLC plates (HSGF 254) were used, and compounds were visualized with a UV light at 254 nm. Further visualization was achieved by staining with  $\text{KMnO}_4$  followed by heating. Column chromatography separations were performed on silica gel (300–400 mesh). Enantiomeric excesses (*ee*) were determined by HPLC analysis on SHIMADZU HPLC system with Daicel chiral columns.

## 2. Materials

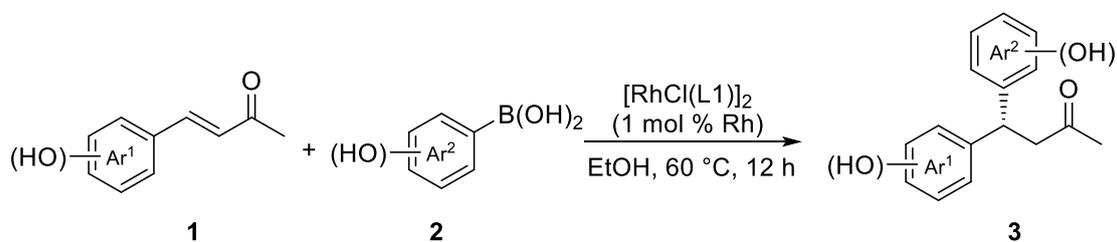
Toluene was distilled over benzophenone ketyl under  $\text{N}_2$ . 1,4-Dioxane, 1,2-dichloroethane, EtOH and THF (Extra Dry, with molecular sieves, stabilized with BHT, water  $\leq 50$  ppm (by K.F.)) were purchased from commercial supplier and used as received. Rhodium complex  $[\text{Rh}(\text{OH})(\text{cod})]_2$ <sup>[1]</sup> was prepared according to the reported procedures. Catalysts  $[\text{RhCl}(\text{L1})]_2$ <sup>[2]</sup>,  $[\text{RhCl}(\text{L2})]_2$ <sup>[2]</sup>,  $[\text{RhCl}(\text{L3})]_2$ <sup>[3]</sup> and  $[\text{RhCl}((R,R)\text{-Ph-bod})]_2$ <sup>[4]</sup> were prepared according to the literature procedures. All the organoboronic acids were purchased from commercial suppliers and used as received.

### 3. A General Procedure for Table 1



$[\text{RhCl}(\text{L})]_2$  (1.0  $\mu\text{mol}$ , 1 mol % Rh), **1a** (0.20 mmol) and **2a** (0.30 mmol) were placed in an oven-dried Schlenk tube under nitrogen. Solvent was added, and the reaction was stirred at 60 °C for 2 h. Upon completion, the mixture was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 3/1) to give **3a**.

### 4. Procedures for Table 2 & Table 3

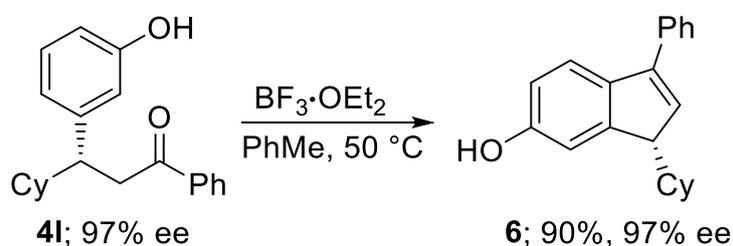


$[\text{RhCl}(\text{L}1)]_2$  (0.95 mg, 1.0  $\mu\text{mol}$ , 1 mol % Rh) and **2** (0.30 mmol) were placed in an oven-dried Schlenk tube under nitrogen. EtOH (0.4 mL), **1** (0.20 mmol) and another portion of EtOH (0.6 mL) was added successively, and the reaction was stirred at 60 °C for 12 h. Upon completion, the solution was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 3/1) to give **3**.

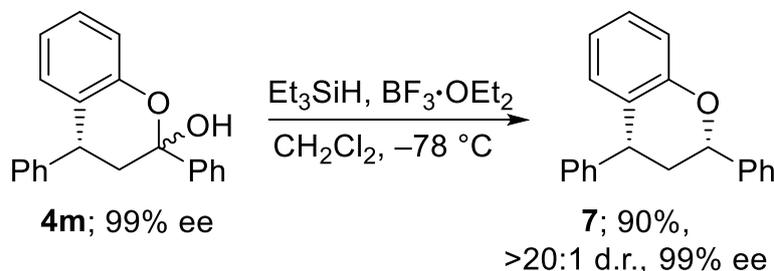
### A general procedure for dehydration of hemiacetal product

TsOH·H<sub>2</sub>O (0.02 mmol), the hemiacetal product (0.20 mmol) and 4 Å MS (0.20 g) were placed in an oven-dried Schlenk tube under nitrogen. Toluene (1.0 mL) was added, and the mixture was then heated to 100 °C for 3 h. Upon completion, the mixture was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 20/1) to give chromene.

## 5. Procedures for Scheme 2

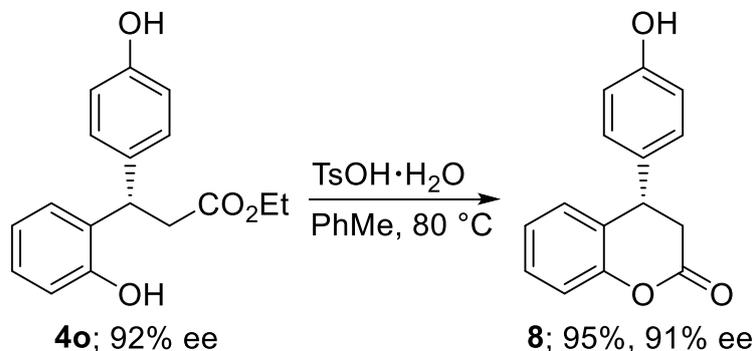


BF<sub>3</sub>·OEt<sub>2</sub> (74.0 μL, 0.60 mmol) and enantioenriched **4l** (49.0 mg, 0.20 mmol, 97% ee) were placed in an oven-dried Schlenk tube under nitrogen. Toluene (1.0 mL) was added and the reaction was stirred at 50 °C for 12 h. Upon completion, the mixture was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 10/1) to give **6** (52.2 mg, 90% yield, 97% ee) as a pale yellow solid.

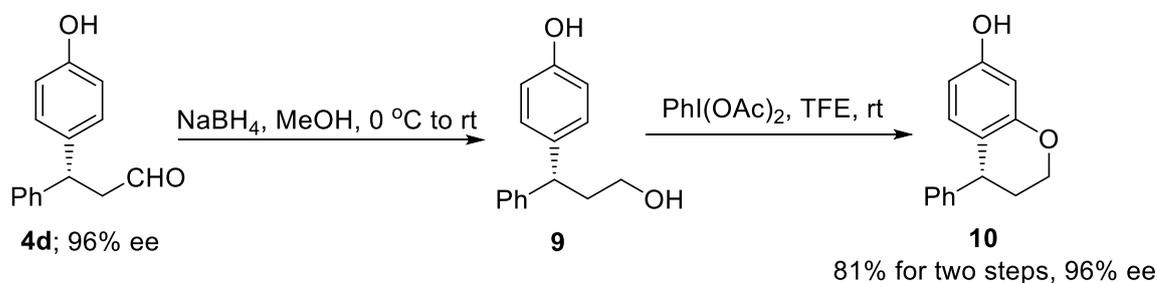


Enantioenriched **4m** (60.5 mg, 0.20 mmol, 99% ee) was dissolved in CH<sub>2</sub>Cl<sub>2</sub> (2 mL). The solution was cooled to -78 °C, and then silane (3.0 mmol) was added followed by the addition of BF<sub>3</sub>·OEt<sub>2</sub> (0.80 mmol). After 1 h, the reaction was warmed

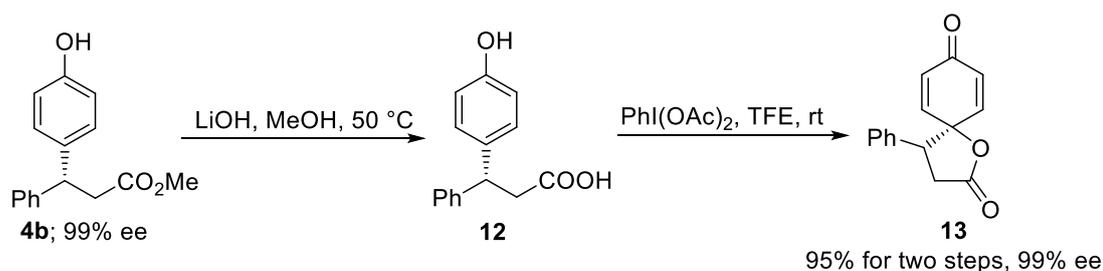
to rt and the solvent was removed. The residue was purified by column chromatography with petroleum ether/EtOAc (v/v = 20/1) to give **7** (51.4 mg, 90% yield, dr > 20:1, 99% ee) as a white solid.



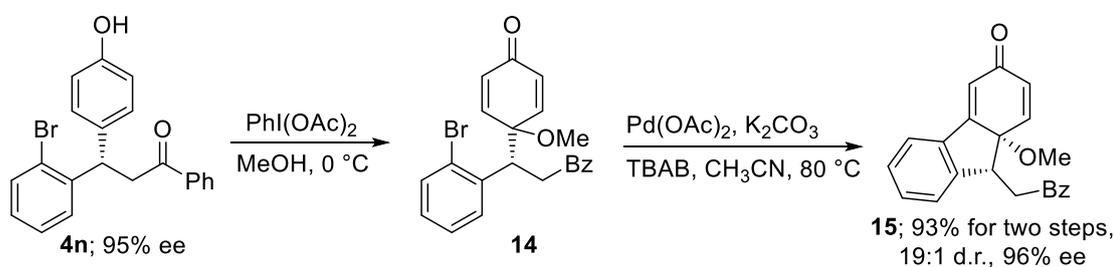
TsOH·H<sub>2</sub>O (0.04 mmol) and enantioenriched **4o** (57.3 mg, 0.20 mmol, 92% ee) were placed in an oven-dried Schlenk tube under nitrogen. Toluene (1.0 mL) was added and the reaction was stirred at 80 °C for 12 h. Upon completion, the mixture was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 4/1) to give **8** (45.6 mg, 95% yield, 91% ee) as a white solid.



Enantioenriched **4d** (45.2 mg, 0.20 mmol, 96% ee) was dissolved in MeOH (2 mL), and NaBH<sub>4</sub> (0.40 mmol) was added at 0 °C. Then the reaction was allowed to warm to rt and stirred for 2 h. Upon completion, the solvent was removed on a rotary evaporator, the residue was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 1/1) to give **9**, which was directly dissolved in CF<sub>3</sub>CH<sub>2</sub>OH (1.0 mL), and PhI(OAc)<sub>2</sub> (77.3 mg, 0.24 mmol) was added. The reaction was stirred at rt for 2 h. The mixture was purified by flash gel column chromatography eluting with petroleum ether /EtOAc (v/v = 10:1) to give **10** (36.6 mg, 81% yield, 96% ee) as a pale yellow solid.



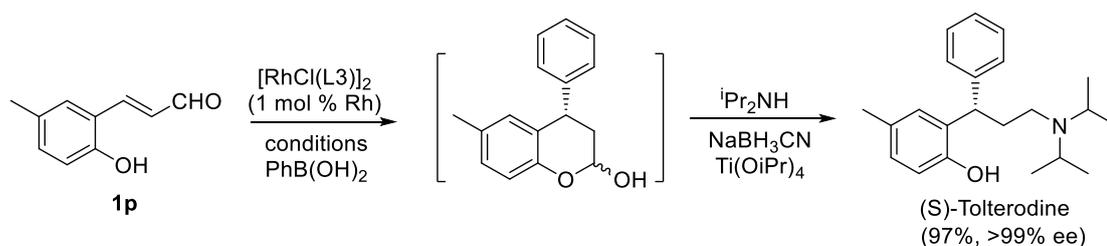
Enantioenriched **4b** (51.3 mg, 0.20 mmol, 99% ee) was dissolved in MeOH (2.0 mL)/H<sub>2</sub>O (1.0 mL), and LiOH·H<sub>2</sub>O (0.80 mmol) was added. The reaction was stirred at 50 °C for 6 h. Upon completion, it was cooled to room temperature and 2N HCl (10 mL) was added. The mixture was extracted with CH<sub>2</sub>Cl<sub>2</sub> (8 mL\*3). The combined organic extracts were dried over MgSO<sub>4</sub>, filtered, and concentrated under vacuum to give the crude carboxylic acid, which was used for the next step without further purification. Intermediate **12** was dissolved in CF<sub>3</sub>CH<sub>2</sub>OH (1.0 mL), and PhI(OAc)<sub>2</sub> (77.3 mg, 0.24 mmol) was added. The reaction mixture was stirred at rt for 1 h. The solvent was removed on a rotary evaporator, and the residue was purified by flash gel column chromatography eluting with petroleum ether /EtOAc (v/v = 2:1) to give **13** (45.6 mg, 95% yield, 99% ee) as a pale yellow solid.



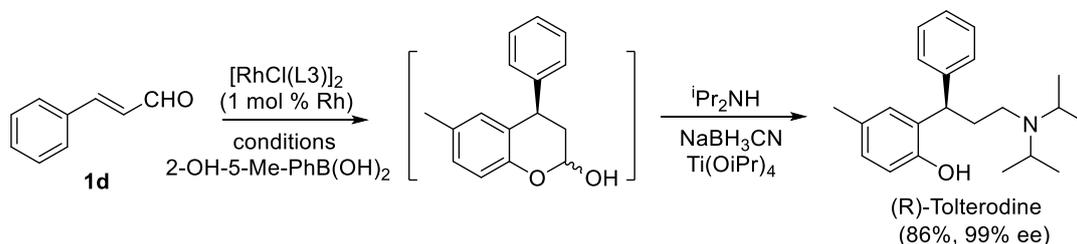
Enantioenriched **4n** (76.3 mg, 0.20 mmol, 96% ee) was reacted with PhI(OAc)<sub>2</sub> (77.3 mg, 0.24 mmol) in MeOH (1.0 mL) at 0 °C for 4 h. Upon completion, the solvent was removed on a rotary evaporator, the residue was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 10/1) to get **14**. Then intermediate **14**, Pd(OAc)<sub>2</sub> (0.02 mmol), K<sub>2</sub>CO<sub>3</sub> (0.80 mmol) and TBAB (0.20 mmol) were placed in an oven-dried Schlenk tube under nitrogen. CH<sub>3</sub>CN (1.0 mL) were added, and the reaction was stirred at 80 °C for 6 h. Upon completion, the mixture was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel

chromatography with petroleum ether/EtOAc (v/v = 10/1) to give **15** (61.4 mg, 93% yield, 19:1 dr, 96% ee) as a pale yellow solid. The configuration of the newly generated stereocenter of **15** was assigned by NOE study (see part 9, NMR spectra).

## 6. Procedures for Scheme 3



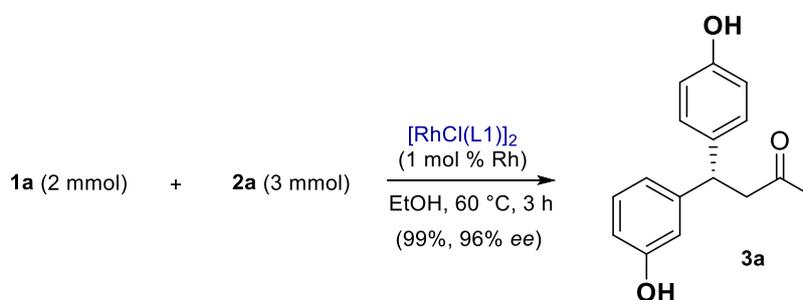
$[\text{RhCl(L3)}]_2$  (0.8 mg, 1.0  $\mu\text{mol}$ , 1 mol % Rh), **1** (0.20 mmol) and **2** (0.30 mmol) were placed in an oven-dried Schlenk tube under nitrogen. EtOH (0.4 mL), KOH (0.56 mg, 10  $\mu\text{mol}$ , in 0.1 mL  $\text{H}_2\text{O}$ ) and another portion of EtOH (0.6 mL) were added successively, and the reaction was stirred at 60 °C for 12 h. Upon completion, the mixture was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator. The residue was dissolved in THF (0.5 mL) and transferred to an oven-dried Schlenk tube containing  $\text{Ti(O}^i\text{Pr)}_4$  (0.60 mmol),  $i\text{Pr}_2\text{NH}$  (1.0 mmol) and  $\text{NaBH}_3\text{CN}$  (0.60 mmol) under nitrogen. Then 0.5 mL of THF was added and the reaction was stirred at 70 °C for 12 h. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc/ $\text{Et}_3\text{N}$  (v/v = 70/30/1) to give the product.



$[\text{RhCl(L3)}]_2$  (0.8 mg, 1.0  $\mu\text{mol}$ , 1 mol % Rh), **1q** (0.20 mmol) and 2-OH-5-Me-PhB(OH)<sub>2</sub> (0.80 mmol) were placed in an oven-dried Schlenk tube under nitrogen. EtOH (0.4 mL), KOH (0.56 mg, 10  $\mu\text{mol}$ , in 0.1 mL  $\text{H}_2\text{O}$ ) and another portion of EtOH (0.6 mL) were added successively, and the reaction was stirred at 60 °C for 12 h. Upon completion, the mixture was passed through a short pad of silica gel

with EtOAc as the eluent. The solvent was removed on a rotary evaporator. The residue was dissolved in THF (0.5 mL) and transferred to an oven-dried Schlenk tube containing  $\text{Ti}(\text{O}^i\text{Pr})_4$  (0.60 mmol),  $^i\text{Pr}_2\text{NH}$  (1.0 mmol) and  $\text{NaBH}_3\text{CN}$  (0.60 mmol) under nitrogen. Then 0.5 mL of THF was added and the reaction was stirred at 70 °C for 12 h. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc/ $\text{Et}_3\text{N}$  (v/v = 70/30/1) to give the product.

## 7. Procedures for Scheme 4

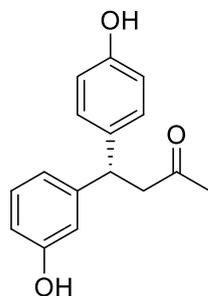


$[\text{RhCl}(\text{L}1)]_2$  (9.5 mg, 1 mol % Rh),  $1\mathbf{a}$  (2.0 mmol) and  $2\mathbf{a}$  (3.0 mmol) were placed in an oven-dried Schlenk tube under nitrogen. EtOH (4.0 mL) was added, and the reaction was stirred at 60 °C for 3 h. Upon completion, the solution was passed through a short pad of silica gel with EtOAc as the eluent. The solvent was removed on a rotary evaporator, and the crude product was subjected to silica gel chromatography with petroleum ether/EtOAc (v/v = 3/1 to 2/1) to give  $3$  and a mixture of recovered catalyst and phenol.

Recycling of the catalyst:  $1\mathbf{a}$  (2.0 mmol) and  $2\mathbf{a}$  (3.0 mmol) were placed in an oven-dried Schlenk tube under nitrogen, an ethanol solution (4.0 mL) of the recovered catalyst with phenol was added. The reaction was stirred at 60 °C for 3 h. The workup was the same as above.

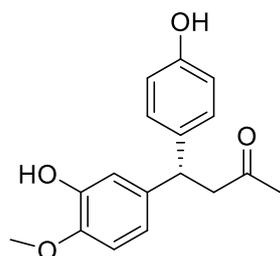
## 8. Characterization of the Products

### (S)-4-(3-Hydroxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (3a)



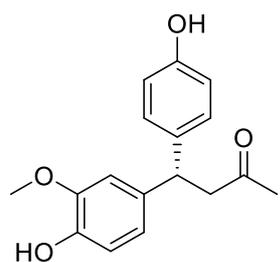
**Compound 3a.** (99% yield, 97% ee (*S*)). White solid, 51.2 mg at 0.20 mmol scale. The ee of **3a** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 9.0$  min (*S*),  $t_{\text{minor}} = 7.6$  min (*R*));  $[\alpha]_{\text{D}}^{20} -0.85$  ( $c$  0.47, CH<sub>3</sub>OH) for 97% ee (*S*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.05 (s, 3H), 3.13 (d,  $J = 7.5$  Hz, 2H), 4.28 (t,  $J = 7.4$  Hz, 1H), 6.56 (d,  $J = 7.9$  Hz, 1H), 6.63 – 6.70 (m, 4H), 7.02 – 7.07 (m, 3H), 9.23 (s, 1H), 9.26 (s, 1H); <sup>13</sup>C NMR (75 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.6, 45.2, 49.2, 113.4, 114.9, 115.5, 118.4, 128.9, 129.7, 135.1, 146.9, 156.1, 157.7, 207.3. HRMS (ESI) calcd for C<sub>16</sub>H<sub>16</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 279.0992, found 279.0995.

### (S)-4-(3-Hydroxy-4-methoxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (3b)



**Compound 3b.** (99% yield, >99% ee (*S*)). White solid, 57.2 mg at 0.20 mmol scale. The ee of **3b** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 24.0$  min (*S*),  $t_{\text{minor}} = 15.9$  min (*R*));  $[\alpha]_{\text{D}}^{20} +1.6$  ( $c$  0.61, CH<sub>3</sub>OH) for >99% ee (*S*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.03 (s, 3H), 3.07 (d,  $J = 7.7$  Hz, 2H), 3.70 (s, 3H), 4.23 (t,  $J = 7.7$  Hz, 1H), 6.62 – 6.68 (m, 4H), 6.76 – 6.80 (m, 1H), 7.01 – 7.05 (m, 2H), 8.76 (s, 1H), 9.16 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.1, 44.2, 49.0, 55.7, 112.2, 115.0, 117.7, 128.3, 135.0, 137.7, 145.9, 146.3, 155.5, 206.9. HRMS (ESI) calcd for C<sub>17</sub>H<sub>18</sub>NaO<sub>4</sub><sup>+</sup> [M+Na]<sup>+</sup> 309.1097, found 309.1098.

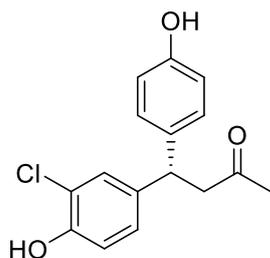
### (S)-4-(4-Hydroxy-3-methoxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (3c)



**Compound 3c.** (99% yield, 96% ee (*S*)). White solid, 57.2 mg at 0.20 mmol scale. The ee of **3c** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 70/30, 210 nm,  $t_{\text{major}} = 11.8$  min (*S*),  $t_{\text{minor}} = 12.8$  min (*R*));  $[\alpha]_{\text{D}}^{20} -6.7$  ( $c$  1.1, CH<sub>3</sub>OH) for 96% ee

(*S*). <sup>1</sup>H NMR (500 MHz, *d*<sub>6</sub>-DMSO) δ 2.06 (s, 3H), 3.16 (d, *J* = 7.8 Hz, 2H), 3.77 (s, 3H), 4.33 (t, *J* = 7.7 Hz, 1H), 6.67 – 6.74 (m, 4H), 6.86 (d, *J* = 1.5 Hz, 1H), 7.12 (d, *J* = 8.5 Hz, 2H), 8.71 (s, 1H), 9.19 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO) δ 30.2, 44.6, 49.2, 55.7, 112.0, 115.1, 115.4, 119.6, 128.3, 135.2, 136.0, 144.8, 147.4, 155.5, 207.0. HRMS (ESI) calcd for C<sub>17</sub>H<sub>18</sub>NaO<sub>4</sub><sup>+</sup> [M+Na]<sup>+</sup> 309.1097, found 309.1098.

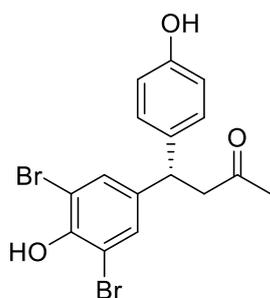
(*S*)-4-(3-Chloro-4-hydroxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (**3d**)



**Compound 3d.** (87% yield, 95% ee (*S*)). White solid, 50.9 mg at 0.20 mmol scale. The ee of **3d** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm, *t*<sub>major</sub> = 8.7 min (*S*), *t*<sub>minor</sub> = 9.6 min (*R*)); [α]<sub>D</sub><sup>20</sup> +1.1 (*c* 0.45, CH<sub>3</sub>OH) for 95% ee (*S*).

<sup>1</sup>H NMR (500 MHz, *d*<sub>6</sub>-DMSO) δ 2.06 (s, 3H), 3.15 (d, *J* = 7.8 Hz, 2H), 4.30 (t, *J* = 7.7 Hz, 1H), 6.69 (d, *J* = 8.4 Hz, 2H), 6.88 (d, *J* = 8.3 Hz, 1H), 7.03 – 7.09 (m, 3H), 7.21 (d, *J* = 1.8 Hz, 1H), 9.20 (s, 1H), 9.89 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO) δ 30.1, 43.6, 48.7, 115.1, 116.5, 119.3, 126.9, 128.3, 128.5, 134.6, 137.0, 151.1, 155.6, 206.7. HRMS (ESI) calcd for C<sub>16</sub>H<sub>15</sub>NaClO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 313.0602, found 313.0598.

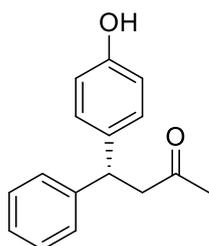
(*S*)-4-(3,5-Dibromo-4-hydroxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (**3e**)



**Compound 3e.** (85% yield, 95% ee (*S*)). White solid, 70 mg at 0.20 mmol scale. The ee of **3e** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm, *t*<sub>major</sub> = 8.9 min (*S*), *t*<sub>minor</sub> = 11.0 min (*R*)); [α]<sub>D</sub><sup>20</sup> –2.0 (*c* 0.68, CH<sub>3</sub>OH) for 95% ee (*S*).

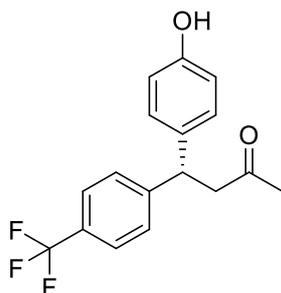
<sup>1</sup>H NMR (500 MHz, *d*<sub>6</sub>-DMSO) δ 2.07 (s, 3H), 3.14 – 3.27 (m, 2H), 4.32 (t, *J* = 7.6 Hz, 1H), 6.70 (d, *J* = 8.4 Hz, 2H), 7.11 (d, *J* = 8.4 Hz, 2H), 7.44 (s, 2H), 9.24 (s, 1H), 9.68 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO) δ 30.0, 43.2, 48.2, 111.8, 115.2, 128.3, 131.0, 134.0, 139.8, 148.7, 155.7, 206.5. HRMS (ESI) calcd for C<sub>16</sub>H<sub>14</sub>Na<sup>79</sup>Br<sub>2</sub>O<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 434.9202, found 434.9207.

(R)-4-(4-Hydroxyphenyl)-4-phenylbutan-2-one (3f)



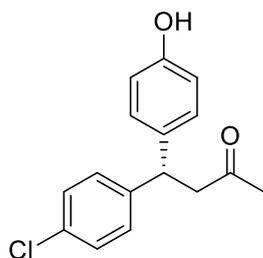
**Compound 3f.** (99% yield, 97% ee (*R*)). White solid, 48 mg at 0.20 mmol scale. The ee of **3f** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 8.2$  min (*R*),  $t_{\text{minor}} = 7.3$  min (*S*));  $[\alpha]_{\text{D}}^{20} +0.85$  ( $c$  0.45, CH<sub>3</sub>OH) for 97% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.05 (s, 3H), 3.19 (d,  $J = 7.7$  Hz, 2H), 4.38 (t,  $J = 7.7$  Hz, 1H), 6.68 (d,  $J = 8.3$  Hz, 2H), 7.08 – 7.16 (m, 3H), 7.22 – 7.29 (m, 4H), 9.25 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.6, 45.3, 49.1, 115.6, 126.4, 127.9, 128.8, 128.9, 135.1, 145.5, 156.1, 207.3. HRMS (ESI) calcd for C<sub>16</sub>H<sub>16</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 263.1043, found 263.1040.

(R)-4-(4-Hydroxyphenyl)-4-(4-(trifluoromethyl)phenyl)butan-2-one (3g)



**Compound 3g.** (99% yield, 96% ee (*R*)). White solid, 61.6 mg at 0.20 mmol scale. The ee of **3g** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 5.4$  min (*R*),  $t_{\text{minor}} = 6.7$  min (*S*));  $[\alpha]_{\text{D}}^{20} +5.9$  ( $c$  0.47, CH<sub>3</sub>OH) for 96% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.06 (s, 3H), 3.15 – 3.36 (m, 2H), 4.48 (t,  $J = 7.6$  Hz, 1H), 6.69 (d,  $J = 8.4$  Hz, 2H), 7.10 (d,  $J = 8.4$  Hz, 2H), 7.49 (d,  $J = 8.1$  Hz, 2H), 7.60 (d,  $J = 8.2$  Hz, 2H), 9.25 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.5, 45.0, 48.6, 115.7, 125.6 (q,  $J = 3.7$  Hz), 127.0, 127.3, 128.7, 128.9, 134.2, 150.4, 156.3, 206.9. HRMS (ESI) calcd for C<sub>17</sub>H<sub>15</sub>NaF<sub>3</sub>O<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 331.0916, found 331.0915.

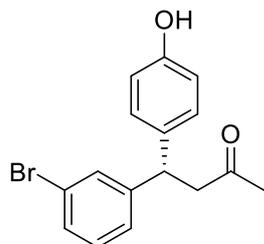
(S)-4-(4-Chlorophenyl)-4-(4-hydroxyphenyl)butan-2-one (3h)



**Compound 3h.** (99% yield, 97% ee (*S*)). White solid, 54.8 mg at 0.20 mmol scale. The ee of **3h** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 6.8$  min (*S*),  $t_{\text{minor}} = 6.5$  min (*R*));  $[\alpha]_{\text{D}}^{20} +6.2$  ( $c$  0.54, CH<sub>3</sub>OH) for 97% ee (*S*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.05 (s, 3H), 3.08 – 3.28 (m, 2H), 4.38 (t,  $J = 7.6$  Hz,

1H), 6.68 (d,  $J = 8.4$  Hz, 2H), 7.07 (d,  $J = 8.4$  Hz, 2H), 7.29 (s, 4H), 9.23 (s, 1H);  $^{13}\text{C}$  NMR (126MHz,  $d_6$ -DMSO)  $\delta$  30.6, 44.5, 48.9, 115.7, 128.6, 128.8, 129.7, 131.0, 134.6, 144.6, 156.2, 207.0. HRMS (ESI) calcd for  $\text{C}_{16}\text{H}_{15}\text{NaClO}_2^+$   $[\text{M}+\text{Na}]^+$  297.0653, found 297.0645.

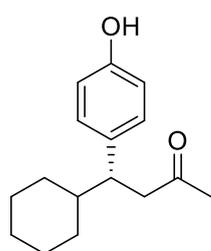
(S)-4-(3-Bromophenyl)-4-(4-hydroxyphenyl)butan-2-one (3i)



**Compound 3i.** (99% yield, 96% ee). Pale yellow solid, 63.6 mg at 0.20 mmol scale. The ee of **3i** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 6.7$  min (*S*),  $t_{\text{minor}} = 8.4$  min (*R*));  $[\alpha]_{\text{D}}^{20} -0.78$  ( $c$  0.52,  $\text{CH}_3\text{OH}$ ) for 96% ee (*S*).

$^1\text{H}$  NMR (400 MHz,  $d_6$ -DMSO)  $\delta$  2.06 (s, 3H), 3.12 – 3.33 (m, 2H), 4.38 (t,  $J = 7.6$  Hz, 1H), 6.69 (d,  $J = 8.4$  Hz, 2H), 7.11 (d,  $J = 8.4$  Hz, 2H), 7.17 – 7.25 (m, 1H), 7.26 – 7.36 (m, 2H), 7.47 (s, 1H), 9.27 (s, 1H).  $^{13}\text{C}$  NMR (101 MHz,  $d_6$ -DMSO)  $\delta$  30.6, 44.8, 48.6, 115.7, 122.1, 126.9, 128.9, 129.3, 130.7, 130.9, 134.4, 148.5, 156.3, 207.0. HRMS (ESI) calcd for  $\text{C}_{16}\text{H}_{15}\text{Na}^{79}\text{BrO}_2^+$   $[\text{M}+\text{Na}]^+$  341.0148, found 341.0149. HRMS (ESI) calcd for  $\text{C}_{16}\text{H}_{15}\text{Na}^{81}\text{BrO}_2^+$   $[\text{M}+\text{Na}]^+$  343.0127, found 343.0131.

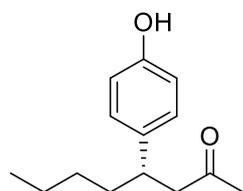
(R)-4-Cyclohexyl-4-(4-hydroxyphenyl)butan-2-one (3j)



**Compound 3j.** (99% yield, 99% ee (*R*)). White solid, 49.2 mg at 0.20 mmol scale. The ee of **3j** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 7.4$  min (*R*),  $t_{\text{minor}} = 9.9$  min (*S*));  $[\alpha]_{\text{D}}^{20} +25$  ( $c$  0.48,  $\text{CH}_3\text{OH}$ ) for 99% ee (*R*).

$^1\text{H}$  NMR (300 MHz,  $d_6$ -DMSO)  $\delta$  0.68 – 1.41 (m, 7H), 1.55 – 1.73 (m, 4H), 1.92 (s, 3H), 2.62 – 2.82 (m, 3H), 6.64 (d,  $J = 8.3$  Hz, 2H), 6.91 (d,  $J = 8.3$  Hz, 2H), 9.10 (s, 1H);  $^{13}\text{C}$  NMR (75 MHz,  $d_6$ -DMSO)  $\delta$  25.8, 25.95, 25.98, 30.0, 30.5, 42.7, 45.6, 46.7, 114.7, 128.9, 133.3, 155.4, 207.7. HRMS (ESI) calcd for  $\text{C}_{16}\text{H}_{22}\text{NaO}_2^+$   $[\text{M}+\text{Na}]^+$  269.1512, found 269.1509.

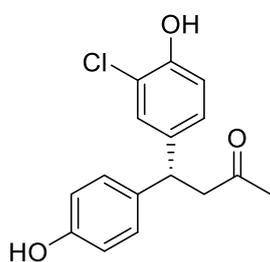
(S)-4-(4-Hydroxyphenyl)octan-2-one (3k)



**Compound 3k.** (99% yield, 97% ee (*S*)). Colorless oil, 44.0 mg at 0.20 mmol scale. The ee of **3k** was determined by HPLC

analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 90/10, 210 nm,  $t_{\text{major}} = 7.1$  min (*S*),  $t_{\text{minor}} = 7.7$  min (*R*));  $[\alpha]_{\text{D}}^{20} +14$  (*c* 0.55, CH<sub>3</sub>OH) for 97% ee (*S*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  0.78 (t, *J* = 7.0 Hz, 3H), 1.03 – 1.23 (m, 4H), 1.34 – 1.51 (m, 2H), 1.96 (s, 3H), 2.57 – 2.72 (m, 2H), 2.82 – 3.0 (m, 1H), 6.67 (d, *J* = 8.1 Hz, 2H), 6.97 (d, *J* = 8.1 Hz, 2H), 9.11 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  13.8, 22.0, 29.0, 30.1, 35.9, 39.7, 50.2, 115.0, 128.1, 134.7, 155.4, 207.4. HRMS (ESI) calcd for C<sub>14</sub>H<sub>20</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 243.1356, found 243.1356.

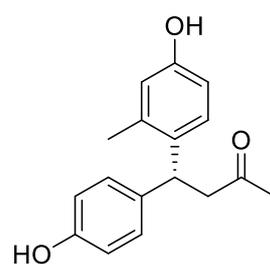
(*R*)-4-(3-Chloro-4-hydroxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (**3l**)



**Compound 3l.** (96% yield, 97% ee). White solid, 55.7 mg at 0.20 mmol scale. The ee of **3l** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 9.4$  min (*R*),  $t_{\text{minor}} = 8.6$  min (*S*));  $[\alpha]_{\text{D}}^{20} -1.8$  (*c* 0.66, CH<sub>3</sub>OH) for 97% ee (*R*).

<sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.05 (s, 3H), 3.15 (d, *J* = 7.9 Hz, 2H), 4.29 (t, *J* = 7.7 Hz, 1H), 6.69 (d, *J* = 8.5 Hz, 2H), 6.88 (d, *J* = 8.3 Hz, 1H), 7.00 – 7.12 (m, 3H), 7.21 (d, *J* = 2.1 Hz, 1H), 9.25 (s, 1H), 9.96 (s, 1H). <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.6, 44.1, 49.1, 115.6, 116.9, 119.8, 127.4, 128.8, 129.0, 135.1, 137.5, 151.6, 156.1, 207.3. HRMS (ESI) calcd for C<sub>16</sub>H<sub>15</sub>NaClO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 313.0602, found 313.0598.

(*R*)-4-(4-Hydroxy-2-methylphenyl)-4-(4-hydroxyphenyl)butan-2-one (**3m**)

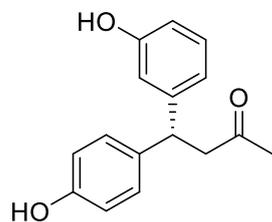


**Compound 3m.** (84% yield, >99% ee). White solid, 45.4 mg at 0.20 mmol scale. The ee of **3m** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 7.1$  min (*R*),  $t_{\text{minor}} = 6.3$  min (*S*));  $[\alpha]_{\text{D}}^{20} -52$  (*c* 0.51, CH<sub>3</sub>OH) for >99% ee (*R*).

<sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.02 (s, 3H), 2.17 (s, 3H), 2.97 – 3.15 (m, 2H), 4.44 (t, *J* = 7.6 Hz, 1H), 6.48 – 6.59 (m, 2H), 6.64 (d, *J* = 8.5 Hz, 2H), 6.98 (d, *J* = 8.5 Hz, 2H), 7.05 (d, *J* = 8.3 Hz, 1H), 9.08 (s, 1H), 9.17 (s, 1H). <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  20.0, 30.6, 40.4, 50.0, 113.1, 115.4, 117.5, 127.6, 129.0, 133.4, 135.1,

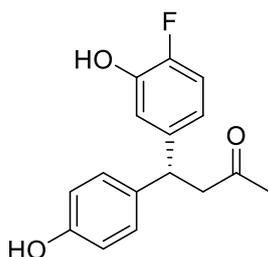
137.0, 155.6, 155.8, 207.5. HRMS (ESI) calcd for C<sub>17</sub>H<sub>18</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 293.1148, found 293.1146.

(R)-4-(3-Hydroxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (ent-3a)



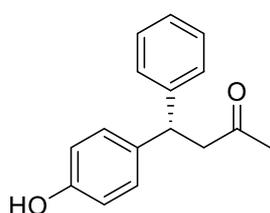
**Compound ent-3a.** (99% yield, 96% ee (*R*)). White solid, 51.2 mg at 0.20 mmol scale. The ee of **ent-3a** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm, *t*<sub>major</sub> = 7.2 min (*R*), *t*<sub>minor</sub> = 8.6 min (*S*)); [ $\alpha$ ]<sub>D</sub><sup>20</sup> +0.52 (*c* 0.44, CH<sub>3</sub>OH) for 96% ee (*R*). <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  2.05 (s, 3H), 3.13 (d, *J* = 7.5 Hz, 2H), 4.37 (t, *J* = 7.8 Hz, 1H), 6.55 – 6.64 (m, 1H), 6.64 – 6.76 (m, 4H), 7.00 – 7.14 (m, 3H); <sup>13</sup>C NMR (101 MHz, CD<sub>3</sub>OD)  $\delta$  29.2, 45.4, 49.2, 112.8, 114.3, 114.8, 118.5, 128.4, 129.1, 134.9, 146.2, 155.4, 157.1, 209.2. HRMS (ESI) calcd for C<sub>16</sub>H<sub>16</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 279.0992, found 279.0995.

(R)-4-(4-Fluoro-3-hydroxyphenyl)-4-(4-hydroxyphenyl)butan-2-one (3n)



**Compound 3n.** (82% yield, 94% ee). White solid, 45.0 mg at 0.20 mmol scale. The ee of **3n** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm, *t*<sub>major</sub> = 7.3 min (*R*), *t*<sub>minor</sub> = 8.6 min (*S*)); [ $\alpha$ ]<sub>D</sub><sup>20</sup> -0.57 (*c* 0.35, CH<sub>3</sub>OH) for 94% ee (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.05 (s, 3H), 3.11 (d, *J* = 7.7 Hz, 2H), 4.27 (t, *J* = 7.7 Hz, 1H), 6.64 – 6.71 (m, 3H), 6.79 (dd, *J* = 8.6, 2.1 Hz, 1H), 6.99 (dd, *J* = 11.3, 8.4 Hz, 1H), 7.05 (d, *J* = 8.5 Hz, 2H), 9.24 (s, 1H), 9.69 (s, 1H). <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.6, 44.5, 49.2, 115.6, 116.1 (d, *J* = 18.0 Hz), 117.4 (d, *J* = 2.4 Hz), 118.4 (d, *J* = 6.4 Hz), 128.8, 135.0, 142.0 (d, *J* = 3.3 Hz), 144.8 (d, *J* = 12.4 Hz), 151.1 (d, *J* = 239.7 Hz), 156.1, 207.3. HRMS (ESI) calcd for C<sub>16</sub>H<sub>15</sub>NaFO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 297.0897, found 297.0899.

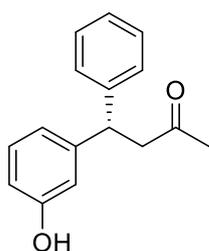
(S)-4-(4-Hydroxyphenyl)-4-phenylbutan-2-one (ent-3f)



**Compound ent-3f.** (99% yield, 94% ee (*S*)). White solid, 48 mg at 0.20 mmol scale. The ee of **ent-3f** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min,

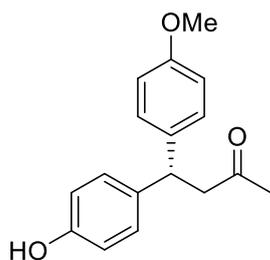
hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 7.3$  min (*S*),  $t_{\text{minor}} = 8.6$  min (*R*);  $[\alpha]_{\text{D}}^{20} -1.3$  ( $c$  0.44, CH<sub>3</sub>OH) for 94% ee (*S*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.05 (s, 3H), 3.13 – 3.26 (m, 2H), 4.38 (t,  $J = 7.7$  Hz, 1H), 6.68 (d,  $J = 8.4$  Hz, 2H), 7.08 – 7.16 (m, 3H), 7.23 – 7.29 (m, 4H), 9.23 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.6, 45.3, 49.1, 115.6, 126.4, 127.9, 128.8, 128.9, 135.1, 145.5, 156.1, 207.3. HRMS (ESI) calcd for C<sub>16</sub>H<sub>16</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 263.1043, found 263.1040.

(*S*)-4-(3-Hydroxyphenyl)-4-phenylbutan-2-one (**3p**)



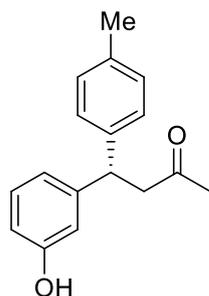
**Compound 3p.** (98% yield, 96% ee (*S*)). White solid, 47.1 mg at 0.20 mmol scale. The ee of **3p** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 7.7$  min (*S*),  $t_{\text{minor}} = 6.9$  min (*R*));  $[\alpha]_{\text{D}}^{20} -1.1$  ( $c$  0.56, CH<sub>3</sub>OH) for 96% ee (*S*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.07 (s, 3H), 3.22 (d,  $J = 7.7$  Hz, 2H), 4.40 (t,  $J = 7.7$  Hz, 1H), 6.57 – 6.60 (m, 1H), 6.67 – 6.69 (m, 1H), 6.74 (d,  $J = 7.7$  Hz, 1H), 7.07 (t,  $J = 7.8$  Hz, 1H), 7.13 – 7.19 (m, 1H), 7.24 – 7.31 (m, 4H), 9.31 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.6, 45.9, 48.8, 113.58, 115.1, 118.6, 126.5, 128.0, 128.8, 129.8, 144.9, 146.3, 157.8, 207.1. HRMS (ESI) calcd for C<sub>16</sub>H<sub>16</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 263.1043, found 263.1040.

(*R*)-4-(4-Hydroxyphenyl)-4-(4-methoxyphenyl)butan-2-one (**3q**)



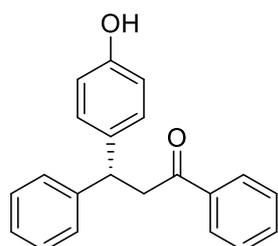
**Compound 3q.** (99% yield, 97% ee (*R*)). White solid, 54.1 mg at 0.20 mmol scale. The ee of **3q** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 8.3$  min (*R*),  $t_{\text{minor}} = 9.5$  min (*S*));  $[\alpha]_{\text{D}}^{20} -2.5$  ( $c$  0.52, CH<sub>3</sub>OH) for 97% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.02 (s, 3H), 3.12 (d,  $J = 7.7$  Hz, 2H), 3.69 (s, 3H), 4.31 (t,  $J = 7.6$  Hz, 1H), 6.65 (d,  $J = 8.2$  Hz, 2H), 6.81 (d,  $J = 8.2$  Hz, 2H), 7.05 (d,  $J = 8.0$  Hz, 2H), 7.16 (d,  $J = 8.1$  Hz, 2H), 9.16 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  30.1, 44.0, 49.0, 54.9, 113.6, 115.0, 128.2, 128.3, 135.0, 137.0, 155.5, 157.4, 206.8. HRMS (ESI) calcd for C<sub>17</sub>H<sub>18</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 293.1148, found 293.1149.

(S)-4-(3-Hydroxyphenyl)-4-(p-tolyl)butan-2-one (3r)



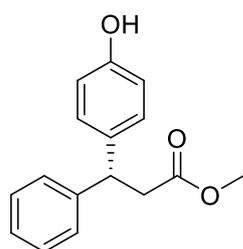
**Compound 3r.** (99% yield, 97% ee (*S*)). White solid, 50.8 mg at 0.20 mmol scale. The ee of **3r** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 6.9$  min (*S*),  $t_{\text{minor}} = 6.3$  min (*R*));  $[\alpha]_{\text{D}}^{20} -2.7$  ( $c$  0.52, CH<sub>3</sub>OH) for 97% ee (*S*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.06 (s, 3H), 2.24 (s, 3H), 3.18 (d,  $J = 7.7$  Hz, 2H), 4.35 (t,  $J = 7.7$  Hz, 1H), 6.57 (dd,  $J = 7.9, 2.0$  Hz, 1H), 6.64 – 6.67 (m, 1H), 6.71 (d,  $J = 7.7$  Hz, 1H), 7.03 – 7.09 (m, 3H), 7.15 – 7.18 (m, 2H), 9.29 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  21.0, 30.6, 45.5, 48.8, 113.5, 115.0, 118.5, 127.9, 129.4, 129.7, 135.5, 141.8, 146.5, 157.8, 207.2. HRMS (ESI) calcd for C<sub>16</sub>H<sub>18</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 277.1199, found 277.1199.

(R)-3-(4-Hydroxyphenyl)-1,3-diphenylpropan-1-one (4a)



**Compound 4a.** (99% yield, 95% ee (*R*)). White solid, 60.4 mg at 0.20 mmol scale. The ee of **4a** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 90/10, 210 nm,  $t_{\text{major}} = 15.0$  min (*R*),  $t_{\text{minor}} = 14.1$  min (*S*));  $[\alpha]_{\text{D}}^{20} +3.1$  ( $c$  0.58, CH<sub>3</sub>OH) for 95% ee (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  3.76 – 3.90 (m, 2H), 4.60 (t,  $J = 7.4$  Hz, 1H), 6.69 (d,  $J = 8.4$  Hz, 2H), 7.13 (t,  $J = 7.3$  Hz, 1H), 7.19 (d,  $J = 8.4$  Hz, 2H), 7.25 (t,  $J = 7.6$  Hz, 2H), 7.36 (d,  $J = 7.6$  Hz, 2H), 7.50 (t,  $J = 7.6$  Hz, 2H), 7.62 (t,  $J = 7.3$  Hz, 1H), 8.02 (d,  $J = 7.5$  Hz, 2H), 9.24 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  44.1, 45.5, 115.6, 126.3, 128.0, 128.7, 129.05, 129.14, 133.6, 135.4, 137.3, 145.8, 156.1, 198.7. HRMS (ESI) calcd for C<sub>21</sub>H<sub>18</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 325.1199, found 325.1200.

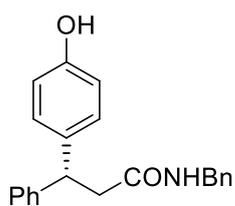
Methyl (R)-3-(4-hydroxyphenyl)-3-phenylpropanoate (4b)



**Compound 4b.** (99% yield, 99% ee (*R*)). Pale yellow oil, 51.2 mg at 0.20 mmol scale. The ee of **4b** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 85/15, 210 nm,  $t_{\text{major}} = 6.3$  min (*R*),  $t_{\text{minor}} = 5.8$  min (*S*));  $[\alpha]_{\text{D}}^{20}$

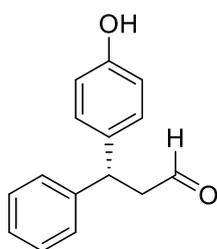
+1.5 (*c* 0.55, CH<sub>3</sub>OH) for 99% ee (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO) δ 3.00 – 3.14 (m, 2H), 3.49 (s, 3H), 4.36 (t, *J* = 8.0 Hz, 1H), 6.67 – 6.71 (m, 2H), 7.10 – 7.18 (m, 3H), 7.24 – 7.31 (m, 4H), 9.26 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO) δ 40.2, 46.3, 51.7, 115.6, 126.6, 127.8, 128.8, 134.6, 144.9, 156.2, 172.2. HRMS (ESI) calcd for C<sub>16</sub>H<sub>16</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 279.0992, found 279.0987.

(*R*)-*N*-benzyl-3-(4-hydroxyphenyl)-3-phenylpropanamide (**4c**)



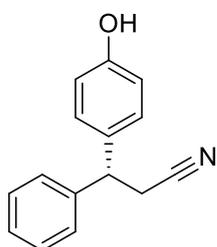
**Compound 4c.** (92% yield, 98% ee (*R*)). White solid, 60.9 mg at 0.20 mmol scale. The ee of **4c** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 70/30, 210 nm, *t*<sub>major</sub> = 6.6 min (*R*), *t*<sub>minor</sub> = 6.0 min (*S*)); [α]<sup>20</sup><sub>D</sub> +0.2 (*c* 0.49, CH<sub>3</sub>OH) for 98% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO) δ 2.89 (d, *J* = 8.0 Hz, 2H), 4.14 – 4.29 (m, 2H), 4.45 (t, *J* = 8.0 Hz, 1H), 6.71 (d, *J* = 8.4 Hz, 2H), 6.86 – 6.90 (m, 2H), 7.10 (d, *J* = 8.4 Hz, 2H), 7.17 – 7.21 (m, 4H), 7.24 – 7.29 (m, 4H), 8.34 (t, *J* = 5.7 Hz, 1H), 9.24 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO) δ 41.7, 41.7, 46.2, 115.1, 125.9, 126.4, 126.7, 127.5, 128.0, 128.2, 128.5, 134.4, 139.2, 144.8, 155.7, 170.3. HRMS (ESI) calcd for C<sub>22</sub>H<sub>22</sub>NO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 332.1645, found 332.1641.

(*R*)-3-(4-Hydroxyphenyl)-3-phenylpropanal (**4d**)



**Compound 4d.** (91% yield, 96% ee (*R*)). White solid, 41.2 mg at 0.20 mmol scale. The ee of **4d** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm, *t*<sub>major</sub> = 8.3 min (*R*), *t*<sub>minor</sub> = 9.4 min (*S*)); [α]<sup>20</sup><sub>D</sub> –10 (*c* 0.40, CH<sub>3</sub>OH) for 96% ee (*R*). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 3.08 – 3.22 (m, 2H), 4.59 (t, *J* = 7.8 Hz, 1H), 6.70 – 6.80 (m, 2H), 7.05 – 7.15 (m, 2H), 7.19 – 7.25 (m, 3H), 7.27 – 7.35 (m, 2H), 9.75 (t, *J* = 2.0 Hz, 1H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 44.2, 49.6, 115.7, 126.7, 127.6, 128.8, 128.9, 135.0, 143.6, 154.5, 202.4. HRMS (ESI) calcd for C<sub>15</sub>H<sub>14</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 249.0886, found 249.0885.

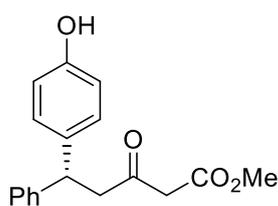
(*R*)-3-(4-Hydroxyphenyl)-3-phenylpropanenitrile (**4e**)



**Compound 4e.** (67% yield, 80% ee (*R*)). White solid, 41.2 mg at 0.20 mmol scale. The ee of **4e** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 90/10,

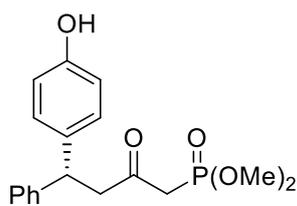
210 nm,  $t_{\text{major}} = 14.3$  min (*R*),  $t_{\text{minor}} = 13.5$  min (*S*);  $[\alpha]_{\text{D}}^{20} +0.98$  (*c* 0.31, CH<sub>3</sub>OH) for 80% ee (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  3.27 (d, *J* = 8.1 Hz, 2H), 4.32 (t, *J* = 8.1 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.5 Hz, 2H), 7.19 – 7.25 (m, 1H), 7.29 – 7.38 (m, 4H), 9.36 (s, 1H); <sup>13</sup>C NMR (101 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  23.4, 46.3, 115.7, 120.3, 127.2, 127.8, 128.96, 128.97, 133.1, 143.4, 156.7. HRMS (ESI) calcd for C<sub>15</sub>H<sub>13</sub>NaNO<sup>+</sup> [M+Na]<sup>+</sup> 246.0889, found 246.0894.

Methyl (*R*)-5-(4-hydroxyphenyl)-3-oxo-5-phenylpentanoate (**4f**)



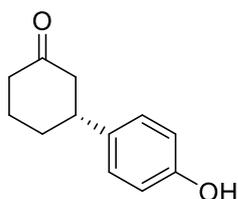
**Compound 4f.** (99% yield, >99% ee (*R*)). White solid, 59.6 mg at 0.20 mmol scale. The ee of **4f** was determined by HPLC analysis: (Chiralcel IB column, 1.0 mL/min, hexane/isopropanol = 85/15, 210 nm,  $t_{\text{major}} = 19.4$  min (*R*),  $t_{\text{minor}} = 46.1$  min (*S*);  $[\alpha]_{\text{D}}^{20} +6.3$  (*c* 0.40, CH<sub>3</sub>OH) for >99% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  3.32 (d, *J* = 7.5 Hz, 2H), 3.53 – 3.65 (m, 5H), 4.37 (t, *J* = 7.5 Hz, 1H), 6.67 (d, *J* = 8.3 Hz, 2H), 7.07 (d, *J* = 8.4 Hz, 2H), 7.11 – 7.19 (m, 1H), 7.25 (d, *J* = 4.2 Hz, 4H), 9.19 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  44.3, 48.0, 48.8, 51.7, 115.1, 125.9, 127.3, 128.2, 128.3, 134.3, 144.7, 155.6, 167.4, 201.5. HRMS (ESI) calcd for C<sub>18</sub>H<sub>18</sub>NaO<sub>4</sub><sup>+</sup> [M+Na]<sup>+</sup> 321.1097, found 321.1098.

Dimethyl (*R*)-(4-(4-hydroxyphenyl)-2-oxo-4-phenylbutyl)phosphonate (**4g**)



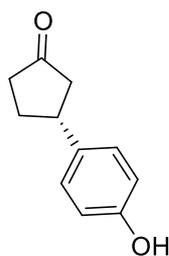
**Compound 4g.** (96% yield, 96% ee (*R*)). White solid, 66.8 mg at 0.20 mmol scale. The ee of **4g** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 15.2$  min (*R*),  $t_{\text{minor}} = 14.2$  min (*S*);  $[\alpha]_{\text{D}}^{20} +2.5$  (*c* 0.52, CH<sub>3</sub>OH) for 96% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  3.20 – 3.38 (m, 4H), 3.59 (s, 3H), 3.63 (s, 3H), 4.39 (t, *J* = 7.4 Hz, 1H), 6.67 (d, *J* = 8.3 Hz, 2H), 7.07 (d, *J* = 8.4 Hz, 2H), 7.12 – 7.17 (m, 1H), 7.25 (d, *J* = 4.2 Hz, 4H), 9.20 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  40.8, 44.1, 49.1, 52.5, 52.5, 125.9, 127.4, 128.2, 128.4, 134.4, 144.8, 155.6, 200.20, 200.24. HRMS (ESI) calcd for C<sub>18</sub>H<sub>22</sub>O<sub>5</sub>P<sup>+</sup> [M+H]<sup>+</sup> 349.1199, found 349.1203.

(R)-3-(4-Hydroxyphenyl)cyclohexan-1-one (4h)



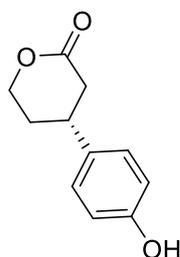
**Compound 4h.** (99% yield, 98% ee (*R*)). White solid, 38.1 mg at 0.20 mmol scale. The ee of **4h** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 10.0$  min (*R*),  $t_{\text{minor}} = 11.1$  min (*S*));  $[\alpha]_{\text{D}}^{20} +6.4$  (*c* 0.39, CH<sub>3</sub>OH) for 98% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  1.55 – 1.92 (m, 3H), 1.93 – 2.06 (m, 1H), 2.17 – 2.33 (m, 2H), 2.33 – 2.47 (m, 1H), 2.56 (t, *J* = 13.1 Hz, 1H), 2.86 (t, *J* = 11.5 Hz, 1H), 6.70 (d, *J* = 8.2 Hz, 2H), 7.06 (d, *J* = 8.0 Hz, 2H), 9.20 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  25.4, 33.0, 40.9, 43.6, 49.1, 115.6, 127.9, 135.6, 156.2, 210.7. HRMS (ESI) calcd for C<sub>12</sub>H<sub>15</sub>O<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 191.1067, found 191.1061.

(R)-3-(4-Hydroxyphenyl)cyclopentan-1-one (4i)



**Compound 4i.** (99% yield, 99% ee (*R*)). White solid, 35.2 mg at 0.20 mmol scale. The ee of **4i** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 90/10, 210 nm,  $t_{\text{major}} = 15.1$  min (*R*),  $t_{\text{minor}} = 14.1$  min (*S*));  $[\alpha]_{\text{D}}^{20} +74$  (*c* 0.42, CH<sub>3</sub>OH) for 99% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-Acetone)  $\delta$  2.29 – 2.47 (m, 1H), 2.62 – 2.82 (m, 4H), 2.90 – 3.05 (m, 1H), 3.70 – 3.91 (m, 1H), 7.26 (d, *J* = 8.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 2H), 8.61 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-Acetone)  $\delta$  32.1, 39.2, 42.3, 46.4, 116.0, 128.6, 135.4, 156.7, 217.4. HRMS (ESI) calcd for C<sub>11</sub>H<sub>12</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 199.0730, found 199.0730.

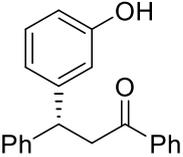
(R)-4-(4-Hydroxyphenyl)tetrahydro-2H-pyran-2-one (4j)



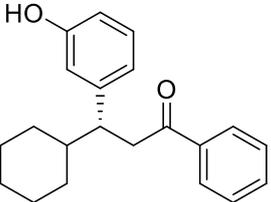
**Compound 4j.** (98% yield, 84% ee (*R*)). White solid, 37.7 mg at 0.20 mmol scale. The ee of **4j** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 70/30, 210 nm,  $t_{\text{major}} = 19.0$  min (*R*),  $t_{\text{minor}} = 23.5$  min (*S*));  $[\alpha]_{\text{D}}^{20} -6.3$  (*c* 0.33, CH<sub>3</sub>OH) for 84% (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-Acetone)  $\delta$  1.94 – 2.17 (m, 2H), 2.51 – 2.61 (m, 1H), 2.72 – 2.82 (m, 1H), 3.18 – 3.29 (m, 1H), 4.49 – 4.33 (m, 2H), 6.63 – 6.93 (m, 2H), 7.10 – 7.20 (m, 2H), 8.29 (s, 1H); <sup>13</sup>C NMR (101 MHz,

*d*<sub>6</sub>-Acetone)  $\delta$  30.4, 36.6, 37.7, 68.3, 115.4, 127.6, 134.9, 156.2, 170.0. HRMS (ESI) calcd for C<sub>11</sub>H<sub>12</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 215.0679, found 215.0680.

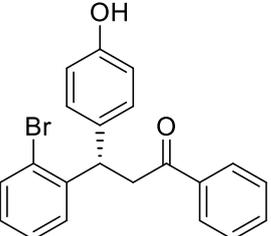
(R)-3-(3-Hydroxyphenyl)-1,3-diphenylpropan-1-one (4k)

 **Compound 4k.** (99% yield, 94% ee (*R*)). White solid, 60.4 mg at 0.20 mmol scale. The ee of **4k** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 90/10, 210 nm, *t*<sub>major</sub> = 16.1 min (*R*), *t*<sub>minor</sub> = 12.8 min (*S*)); [ $\alpha$ ]<sub>D</sub><sup>20</sup> -2.3 (*c* 0.60, CH<sub>3</sub>OH) for 94% ee (*R*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  3.84 (d, *J* = 7.3 Hz, 2H), 4.60 (t, *J* = 7.3 Hz, 1H), 6.59 (d, *J* = 7.9 Hz, 1H), 6.73 – 6.87 (m, 2H), 7.06 (t, *J* = 7.8 Hz, 1H), 7.14 (t, *J* = 7.1 Hz, 1H), 7.26 (t, *J* = 7.4 Hz, 2H), 7.37 (d, *J* = 7.4 Hz, 2H), 7.50 (t, *J* = 7.5 Hz, 2H), 7.61 (t, *J* = 7.1 Hz, 1H), 8.02 (d, *J* = 7.5 Hz, 2H), 9.28 (s, 1H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  43.4, 45.7, 113.0, 114.7, 118.2, 126.0, 127.6, 128.0, 128.2, 128.6, 129.2, 133.1, 136.8, 144.6, 146.0, 157.3, 197.9. HRMS (ESI) calcd for C<sub>21</sub>H<sub>18</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 325.1199, found 325.1200.

(R)-3-Cyclohexyl-3-(3-hydroxyphenyl)-1-phenylpropan-1-one (4l)

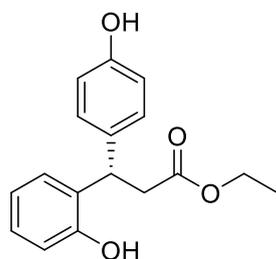
 **Compound 4l.** (99% yield, 97% ee (*R*)). White solid, 61.6 mg at 0.20 mmol scale. The ee of **4l** was determined by HPLC analysis: (Chiralcel IB column, 1.0 mL/min, hexane/isopropanol = 90/10, 254 nm, *t*<sub>major</sub> = 5.3 min (*R*), *t*<sub>minor</sub> = 9.3 min (*S*)); [ $\alpha$ ]<sub>D</sub><sup>20</sup> +11 (*c* 0.47, CH<sub>3</sub>OH) for 97% ee (*R*). <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  0.77 – 1.33 (m, 5H), 1.47 – 1.70 (m, 4H), 1.71 – 1.92 (m, 2H), 3.08– 3.22 (m, 1H), 3.25 – 3.50 (m, 2H), 6.58 (s, 1H), 6.64 – 6.80 (m, 3H), 7.10 (t, *J* = 7.8 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.54 (t, *J* = 7.3 Hz, 1H), 7.82 – 7.97 (m, 2H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  26.4, 26.6, 30.9, 31.4, 42.6, 43.1, 47.2, 113.3, 115.6, 120.4, 128.2, 128.6, 129.2, 133.1, 137.1, 145.5, 155.8, 200.9. HRMS (ESI) calcd for C<sub>21</sub>H<sub>24</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 331.1669, found 331.1668.

(S)-3-(2-Bromophenyl)-3-(4-hydroxyphenyl)-1-phenylpropan-1-one (4n)

 **Compound 4n.** (99% yield, 95% ee (*S*)). White solid, 76.0 mg at 0.20 mmol scale. The ee of **4n** was determined by HPLC

analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 7.2$  min (*S*),  $t_{\text{minor}} = 7.6$  min (*R*));  $[\alpha]_{\text{D}}^{20} -0.55$  ( $c$  0.73, CH<sub>3</sub>OH) for 95% ee (*S*). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  3.49 – 3.66 (m, 2H), 5.10 (t,  $J = 7.3$  Hz, 1H), 6.22 (s, 1H), 6.49 – 6.61 (m, 2H), 6.86 – 7.01 (m, 3H), 7.10 (d,  $J = 4.7$  Hz, 2H), 7.32 (t,  $J = 7.6$  Hz, 2H), 7.44 (t,  $J = 7.2$  Hz, 2H), 7.83 (d,  $J = 8.1$  Hz, 2H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  44.3, 44.5, 115.6, 124.8, 127.7, 128.0, 128.2, 128.8, 129.3, 133.4, 133.5, 133.9, 136.7, 143.4, 154.6, 198.8. HRMS (ESI) calcd for C<sub>21</sub>H<sub>17</sub>Na<sup>79</sup>BrO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 403.0304, found 403.0313. HRMS (ESI) calcd for C<sub>21</sub>H<sub>17</sub>Na<sup>81</sup>BrO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 405.0284, found 405.0297.

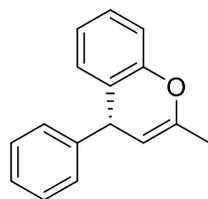
Ethyl (*S*)-3-(2-hydroxyphenyl)-3-(4-hydroxyphenyl)propanoate (**4o**)



**Compound 4o.** (98% yield, 92% ee (*S*)). White solid, 56.1 mg at 0.20 mmol scale. The ee of **4o** was determined by HPLC analysis: (Chiralcel IB column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 6.7$  min (*S*),  $t_{\text{minor}} = 10.5$  min (*R*));  $[\alpha]_{\text{D}}^{20} -27$  ( $c$  0.57, CH<sub>3</sub>OH) for 92% ee (*S*).

<sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  1.04 (t,  $J = 6.9$  Hz, 3H), 2.97 (d,  $J = 7.9$  Hz, 2H), 3.95 (q,  $J = 6.8$  Hz, 2H), 4.70 (t,  $J = 7.9$  Hz, 1H), 6.61 – 6.82 (m, 4H), 6.90 – 7.02 (m, 1H), 7.03 – 7.18 (m, 3H), 9.17 (s, 1H), 9.39 (s, 1H); <sup>13</sup>C NMR (75 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  14.4, 39.66, 39.74, 60.0, 115.3, 115.5, 119.3, 127.4, 128.0, 129.1, 131.0, 134.2, 154.8, 156.0, 171.9. HRMS (ESI) calcd for C<sub>17</sub>H<sub>18</sub>NaO<sub>4</sub><sup>+</sup> [M+Na]<sup>+</sup> 309.1097, found 309.1102.

(*R*)-2-Methyl-4-phenyl-4H-chromene (**5a**)

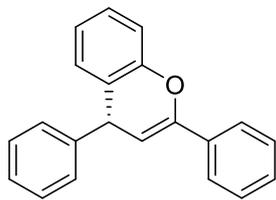


**Compound 5a.** (99% yield, >99% ee (*R*)). White solid, 44.4 mg at 0.20 mmol scale. The ee of **5a** was determined by HPLC analysis: (Chiralcel IB column, 1.0 mL/min, hexane/isopropanol = 98/2, 254 nm,  $t_{\text{major}} = 4.4$  min (*R*),  $t_{\text{minor}} = 4.1$  min (*S*));  $[\alpha]_{\text{D}}^{20} +107$  ( $c$  0.31,

CH<sub>3</sub>OH) for >99% ee (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  1.95 (s, 3H), 4.67 (d,  $J = 2.4$  Hz, 1H), 4.87 (dd,  $J = 4.0, 1.0$  Hz, 1H), 6.93 – 6.99 (m, 3H), 7.13 – 7.23 (m, 4H), 7.28 – 7.32 (m, 2H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  18.8, 39.7, 100.4, 116.0,

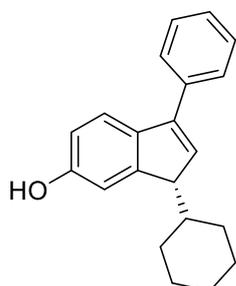
123.0, 123.2, 126.3, 127.5, 127.8, 128.4, 129.6, 146.5, 146.9, 150.4. HRMS (ESI) calcd for C<sub>16</sub>H<sub>15</sub>O<sup>+</sup> [M+H]<sup>+</sup> 223.1117, found 223.1112.

(R)-2,4-Diphenyl-4H-chromene (5b)



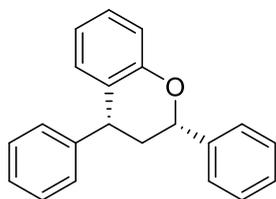
**Compound 5b.** (99% yield, 99% ee (*R*)). White solid, 56.8 mg at 0.20 mmol scale. The ee of **5b** was determined by HPLC analysis: (Chiralcel IB column, 1.0 mL/min, hexane/isopropanol = 98/2, 254 nm, *t*<sub>major</sub> = 5.2 min (*R*), *t*<sub>minor</sub> = 4.9 min (*S*)); [α]<sup>20</sup><sub>D</sub> +0.26 (*c* 0.49, CH<sub>3</sub>OH) for 99% ee (*R*). <sup>1</sup>H NMR (400 MHz, *d*<sub>6</sub>-DMSO) δ 4.90 (d, *J* = 4.5 Hz, 1H), 5.84 (d, *J* = 4.5 Hz, 1H), 6.96 – 7.06 (m, 2H), 7.14 – 7.24 (m, 3H), 7.27 – 7.35 (m, 4H), 7.35 – 7.47 (m, 3H), 7.71 – 7.83 (m, 2H); <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO) δ 39.8, 101.0, 116.4, 123.2, 123.6, 124.2, 126.5, 127.7, 127.9, 128.4, 128.6, 129.5, 133.3, 146.4, 147.0, 150.3. HRMS (ESI) calcd for C<sub>21</sub>H<sub>17</sub>O<sup>+</sup> [M+H]<sup>+</sup> 285.1274, found 285.1275.

(S)-1-Cyclohexyl-3-phenyl-1H-inden-6-ol (6)



**Compound 6.** (90% yield, 97% ee). Pale yellow solid, 52.2 mg at 0.20 mmol scale. The ee of **6** was determined by HPLC analysis: (Chiralcel IB column, 1.0 mL/min, hexane/isopropanol = 90/10, 254 nm, *t*<sub>major</sub> = 5.1 min (*S*), *t*<sub>minor</sub> = 5.5 min (*R*)); [α]<sup>20</sup><sub>D</sub> +33 (*c* 0.40, CH<sub>3</sub>OH) for 97% ee (*S*). <sup>1</sup>H NMR (300 MHz, *d*<sub>6</sub>-DMSO) δ 0.81 – 0.97 (m, 1H), 1.02 – 1.15 (m, 2H), 1.20 – 1.33 (m, 3H), 1.50 – 1.65 (m, 2H), 1.67 – 1.79 (m, 1H), 1.82 – 1.98 (m, 2H), 3.23 – 3.38 (m, 1H), 6.43 (d, *J* = 1.6 Hz, 1H), 6.71 (dd, *J* = 8.2, 1.9 Hz, 1H), 6.94 (s, 1H), 7.27 (d, *J* = 8.2 Hz, 1H), 7.31 – 7.39 (m, 1H), 7.39 – 7.50 (m, 2H), 7.57 (d, *J* = 7.2 Hz, 2H), 9.27 (s, 1H). <sup>13</sup>C NMR (75 MHz, *d*<sub>6</sub>-DMSO) δ 26.38, 26.45, 26.8, 28.2, 32.0, 40.8, 54.8, 111.8, 113.5, 120.6, 127.5, 127.8, 129.0, 131.6, 134.9, 136.2, 143.7, 149.8, 156.0. HRMS (ESI) calcd for C<sub>21</sub>H<sub>23</sub>O<sup>+</sup> [M+H]<sup>+</sup> 291.1743, found 291.1745.

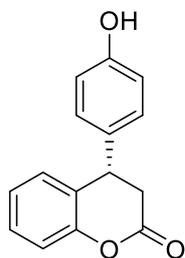
(2*S*,4*R*)-2,4-Diphenylchromane (7)



**Compound 7.** (90% yield, dr > 20:1, 99% ee). White solid, 51.4 mg at 0.20 mmol scale. The ee of **7** was determined by

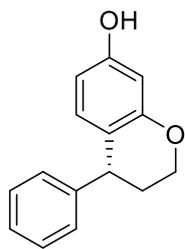
HPLC analysis: (Chiralcel ID column, 1.0 mL/min, hexane/isopropanol = 98/2, 210 nm,  $t_{\text{major}} = 5.5$  min (*S*),  $t_{\text{minor}} = 5.9$  min (*R*));  $[\alpha]_{\text{D}}^{20} -58$  (*c* 0.51, CH<sub>3</sub>OH) for 99% ee (*4R*). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  2.29 – 2.42 (m, 1H), 2.45 – 2.53 (m, 1H), 4.43 (dd, *J* = 12.1, 5.9 Hz, 1H), 5.18 – 5.39 (m, 1H), 6.82 – 6.92 (m, 2H), 7.04 (d, *J* = 8.1 Hz, 1H), 7.18 – 7.24 (m, 1H), 7.28 – 7.35 (m, 3H), 7.39 (t, *J* = 7.3 Hz, 3H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.56 (d, *J* = 7.4 Hz, 2H). <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)  $\delta$  40.7, 43.6, 78.2, 117.1, 120.6, 125.8, 126.2, 126.8, 127.8, 128.1, 128.6, 128.7, 129.9, 141.3, 144.6, 155.6. HRMS (ESI) calcd for C<sub>21</sub>H<sub>19</sub>O<sup>+</sup> [M+H]<sup>+</sup> 287.1430, found 287.1428.

(*S*)-4-(4-Hydroxyphenyl)chroman-2-one (**8**)



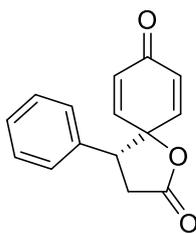
**Compound 8.** (95% yield, 91% ee). White solid, 45.6 mg at 0.20 mmol scale. The ee of **8** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 254 nm,  $t_{\text{major}} = 8.4$  min (*S*),  $t_{\text{minor}} = 7.5$  min (*R*));  $[\alpha]_{\text{D}}^{20} +18$  (*c* 0.33, CH<sub>3</sub>OH) for 91% ee (*S*). <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.85 – 3.03 (m, 2H), 4.20 (t, *J* = 6.6 Hz, 1H), 6.70 (d, *J* = 8.4 Hz, 2H), 6.84 – 6.97 (m, 3H), 6.97 – 7.09 (m, 2H), 7.16 – 7.27 (m, 1H). <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  37.2, 39.8, 116.0, 117.1, 124.8, 126.1, 128.3, 128.66, 128.73, 132.0, 151.5, 155.3, 168.5. HRMS (ESI) calcd for C<sub>15</sub>H<sub>13</sub>O<sub>3</sub><sup>+</sup> [M+H]<sup>+</sup> 241.0859, found 241.0865.

(*R*)-4-Phenylchroman-7-ol (**10**)



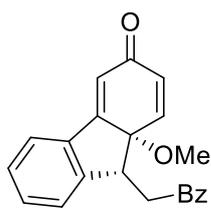
**Compound 10.** (81% yield, 96% ee). Pale yellow solid, 36.6 mg at 0.20 mmol scale. The ee of **10** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 90/10, 210 nm,  $t_{\text{major}} = 7.8$  min (*R*),  $t_{\text{minor}} = 7.6$  min (*S*));  $[\alpha]_{\text{D}}^{20} +22$  (*c* 0.14, CH<sub>3</sub>OH) for 96% ee (*R*). <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.03 – 2.19 (m, 1H), 2.24 – 2.42 (m, 1H), 4.09 – 4.27 (m, 3H), 6.32 (d, *J* = 2.6 Hz, 1H), 6.60 – 6.70 (m, 1H), 6.75 – 6.84 (m, 1H), 7.19 (d, *J* = 7.1 Hz, 2H), 7.23 – 7.40 (m, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  31.8, 41.4, 64.0, 115.3, 116.4, 117.5, 125.4, 126.6, 128.5, 128.6, 145.4, 149.0, 149.2. HRMS (ESI) calcd for C<sub>15</sub>H<sub>15</sub>O<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 227.1067, found 227.1064.

(R)-4-Phenyl-1-oxaspiro[4.5]deca-6,9-diene-2,8-dione (13)



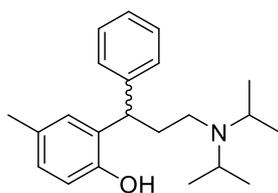
**Compound 13.** (95% yield, 99% ee). Pale yellow solid, 45.6 mg at 0.20 mmol scale. The ee of **13** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 210 nm,  $t_{\text{major}} = 14.6$  min (*R*),  $t_{\text{minor}} = 16.5$  min (*S*));  $[\alpha]_{\text{D}}^{20} +43$  ( $c$  0.57, CH<sub>3</sub>OH) for 99% ee (*R*). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  3.05 – 3.15 (m, 1H), 3.17 – 3.29 (m, 1H), 3.88 (dd,  $J = 10.9, 8.6$  Hz, 1H), 6.02 (dd,  $J = 10.3, 1.9$  Hz, 1H), 6.37 (dd,  $J = 10.1, 1.9$  Hz, 1H), 6.61 (dd,  $J = 10.3, 3.2$  Hz, 1H), 6.98 (dd,  $J = 10.1, 3.2$  Hz, 1H), 7.07 – 7.17 (m, 2H), 7.30 – 7.36 (m, 3H). <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>)  $\delta$  32.8, 50.0, 81.8, 127.4, 128.7, 128.9, 130.2, 130.5, 133.6, 143.0, 145.6, 174.2, 184.2. HRMS (ESI) calcd for C<sub>15</sub>H<sub>13</sub>O<sub>3</sub><sup>+</sup> [M+H]<sup>+</sup> 241.0859, found 241.0865.

(9R,9aR)-9a-Methoxy-9-(2-oxo-2-phenylethyl)-9,9a-dihydro-3H-fluoren-3-one (15)



**Compound 15.** (93% yield, dr = 19:1, 96% ee). Pale yellow solid, 61.4 mg at 0.20 mmol scale. The ee of **15** was determined by HPLC analysis: (Chiralcel IF column, 1.0 mL/min, hexane/isopropanol = 80/20, 254 nm,  $t_{\text{major}} = 7.3$  min (*R*),  $t_{\text{minor}} = 8.8$  min (*S*));  $[\alpha]_{\text{D}}^{20} -69$  ( $c$  0.29, CH<sub>3</sub>OH) for 96% ee (*R*). <sup>1</sup>H NMR (500 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  2.67 (d,  $J = 14.0$  Hz, 1H), 2.95 (s, 3H), 3.17 (dd,  $J = 13.9, 8.4$  Hz, 1H), 4.01 (d,  $J = 8.2$  Hz, 1H), 6.30 (dd,  $J = 9.9, 1.6$  Hz, 1H), 6.76 (d,  $J = 1.5$  Hz, 1H), 6.94 (dd,  $J = 6.5, 2.9$  Hz, 2H), 7.13 – 7.21 (m, 3H), 7.24 (d,  $J = 7.3$  Hz, 1H), 7.33 – 7.42 (m, 2H), 7.48 (d,  $J = 9.9$  Hz, 1H), 7.89 (d,  $J = 7.3$  Hz, 1H). <sup>13</sup>C NMR (126 MHz, *d*<sub>6</sub>-DMSO)  $\delta$  48.1, 50.3, 50.7, 87.1, 111.9, 120.5, 123.5, 126.0, 126.1, 128.0, 128.5, 129.9, 132.2, 136.4, 141.4, 144.9, 149.2, 160.0, 186.8. HRMS (ESI) calcd for C<sub>22</sub>H<sub>18</sub>NaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 353.1148, found 353.1154.

**Tolterodine**<sup>[5]</sup>



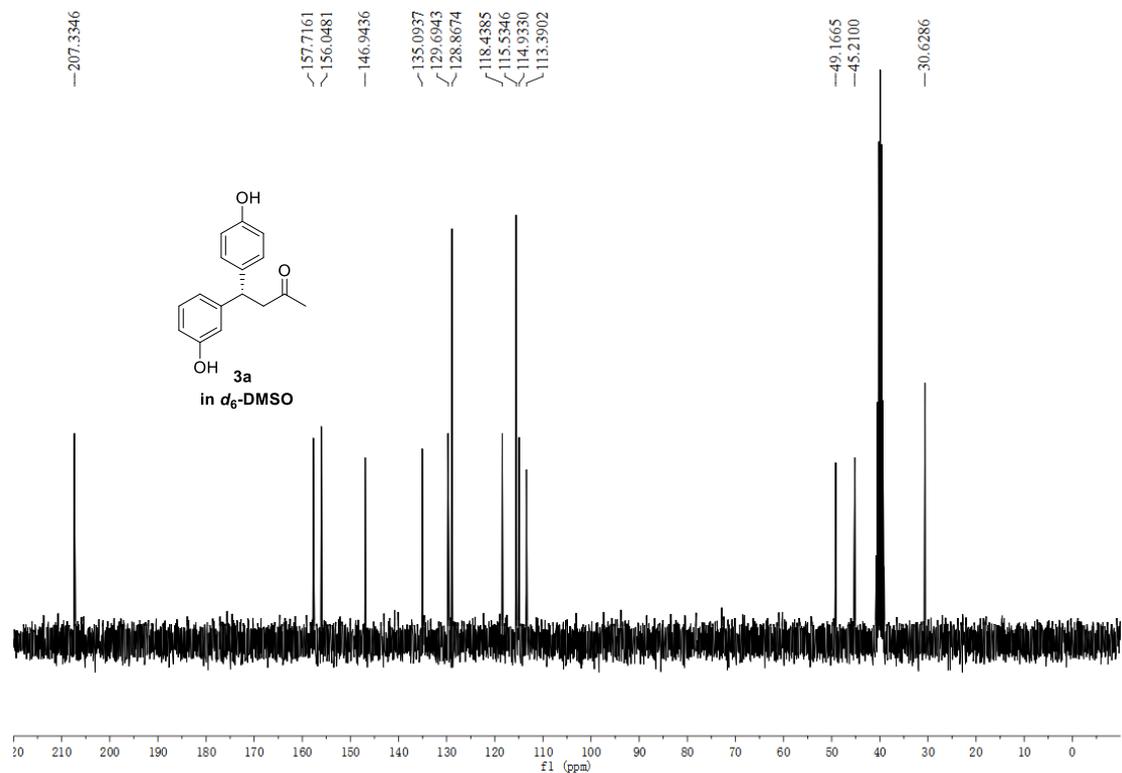
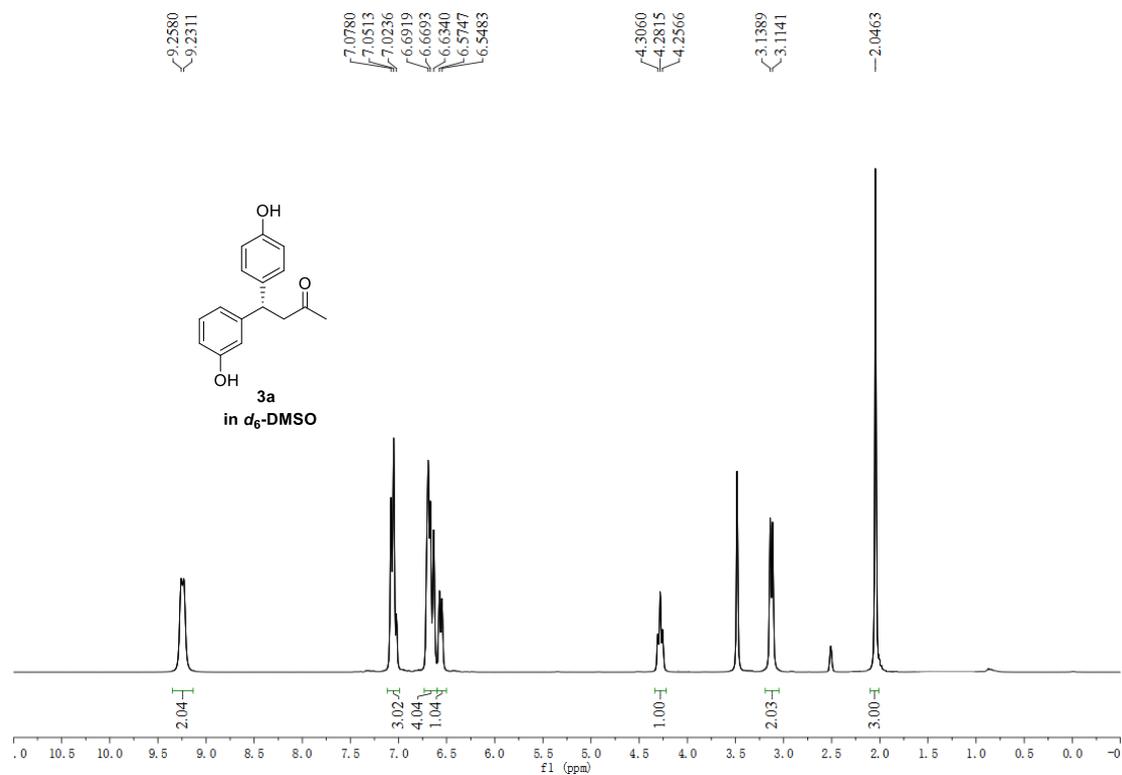
**(S)-Tolterodine.** (97% yield, >99% ee). Colorless oil, 63.0 mg at 0.20 mmol scale. The ee of **(S)-Tolterodine** was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 99.5/0.5, 210 nm,  $t_{\text{major}} = 9.2$

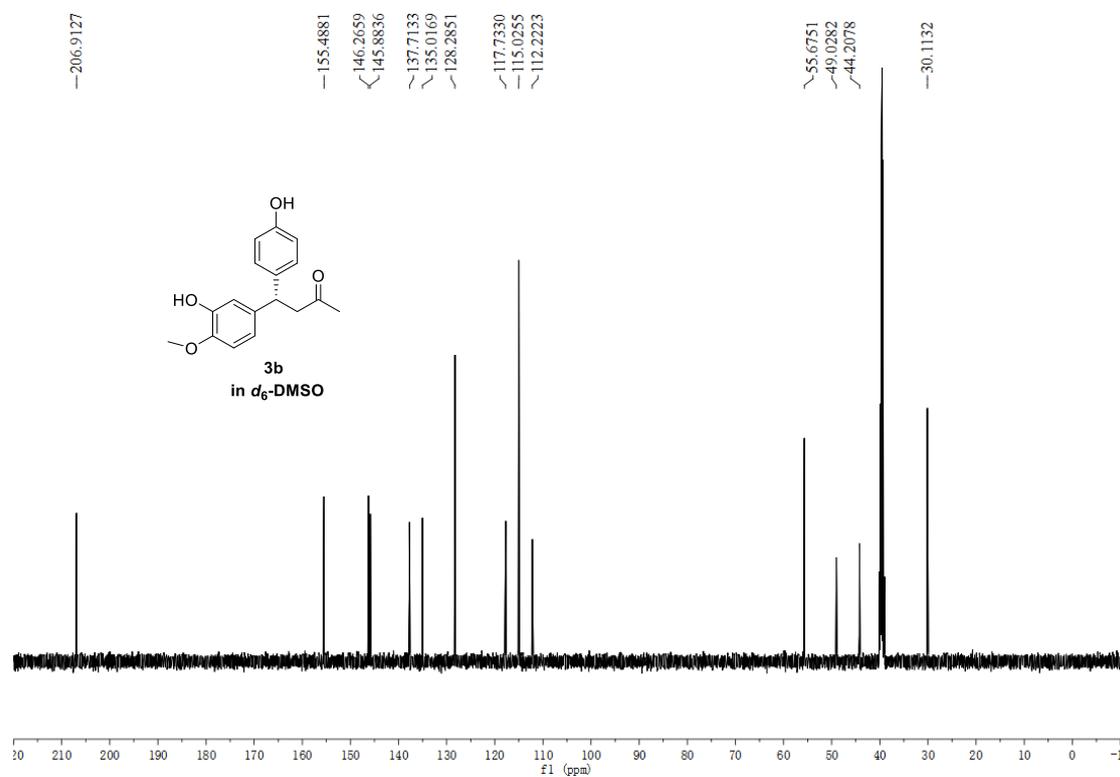
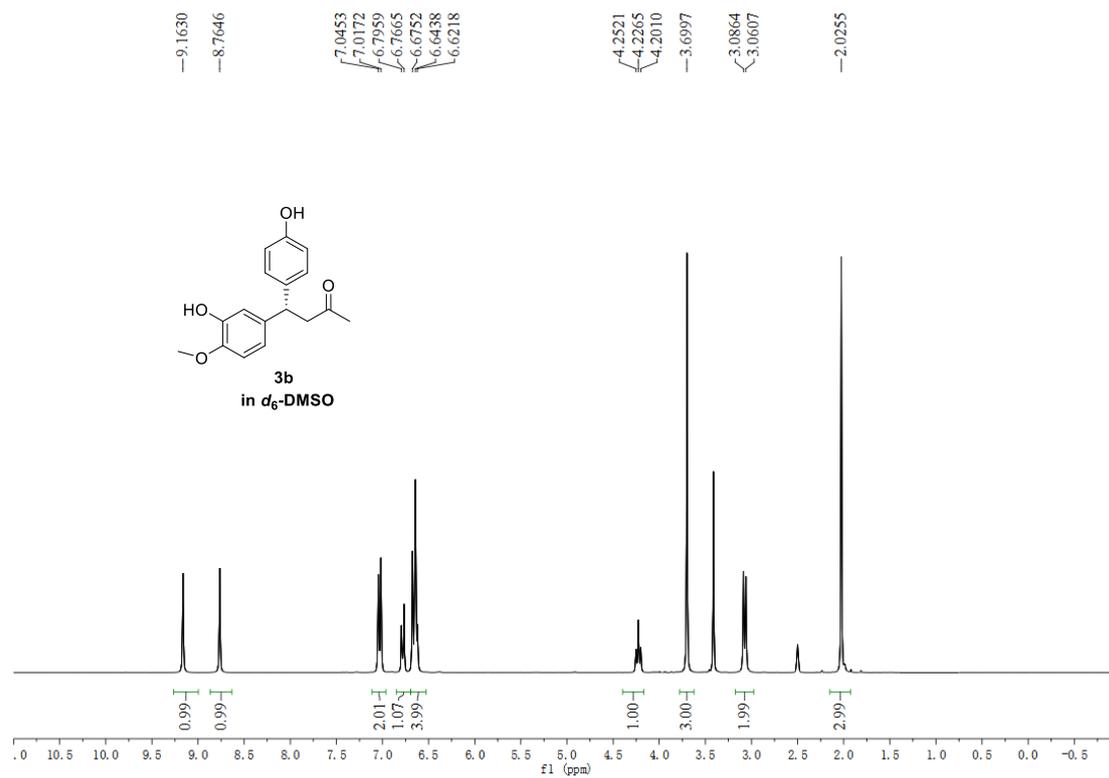
min (*S*);  $[\alpha]_{\text{D}}^{20} -27$  (*c* 0.23, MeOH) for 99% ee. [lit.<sup>[5]</sup> value for the *S* enantiomer:  $[\alpha]_{\text{D}}^{20} -27$  (*c* 1.0, CH<sub>3</sub>OH).] (***R***)-Tolterodine. (86% yield, 99% ee). Colorless oil, 55.9 mg at 0.20 mmol scale. The ee of (***R***)-Tolterodine was determined by HPLC analysis: (Chiralcel IC column, 1.0 mL/min, hexane/isopropanol = 99.5/0.5, 210 nm,  $t_{\text{major}} = 9.9$  min (*R*),  $t_{\text{minor}} = 9.4$  min (*S*);  $[\alpha]_{\text{D}}^{20} +25$  (*c* 0.11, MeOH) for 99% ee. [lit.<sup>[5]</sup> value for the *R* enantiomer:  $[\alpha]_{\text{D}}^{20} +26$  (*c* 1.0, CH<sub>3</sub>OH)]. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  1.12 (d, *J* = 6.7 Hz, 6H), 1.17 (d, *J* = 6.7 Hz, 6H), 2.10 – 2.15 (m, 1H), 2.16 (s, 3H), 2.37 – 2.48 (m, 2H), 2.69 – 2.80 (m, 1H), 3.18 – 3.34 (m, 2H), 4.53 (dd, *J* = 11.1, 3.9 Hz, 1H), 6.60 (s, 1H), 6.79 – 6.92 (m, 2H), 7.23 – 3.34 (m, 1H), 7.32 – 7.39 (m, 4H).

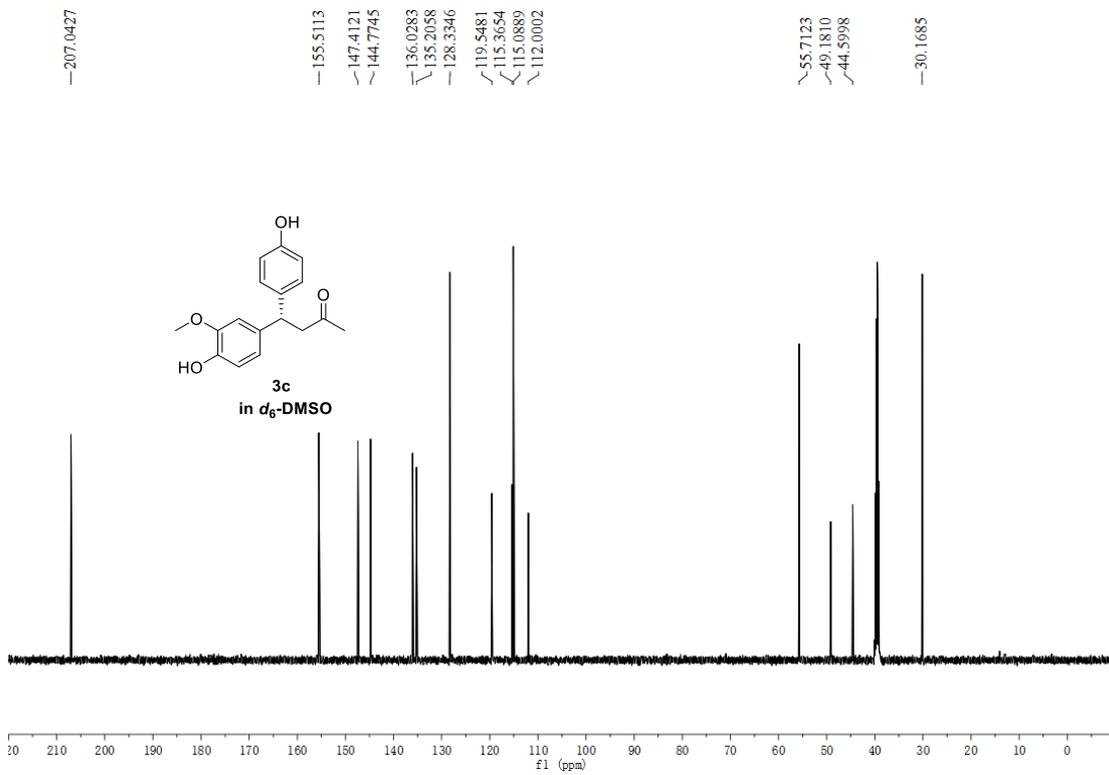
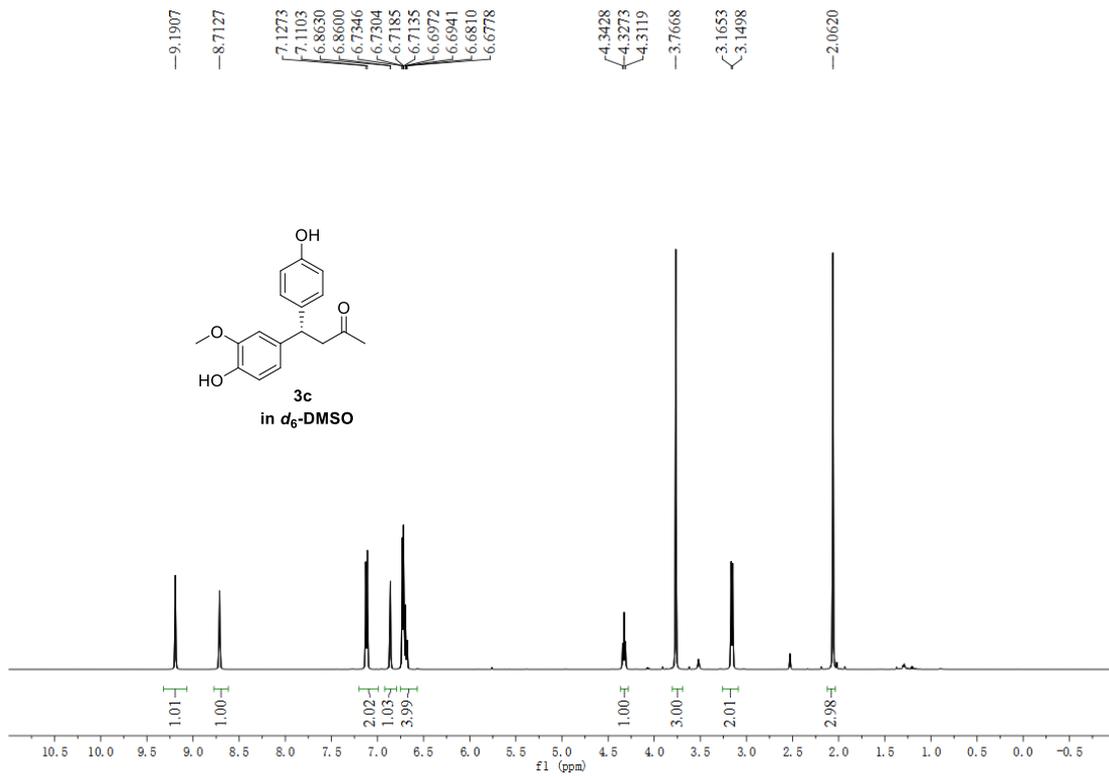
## 9. References

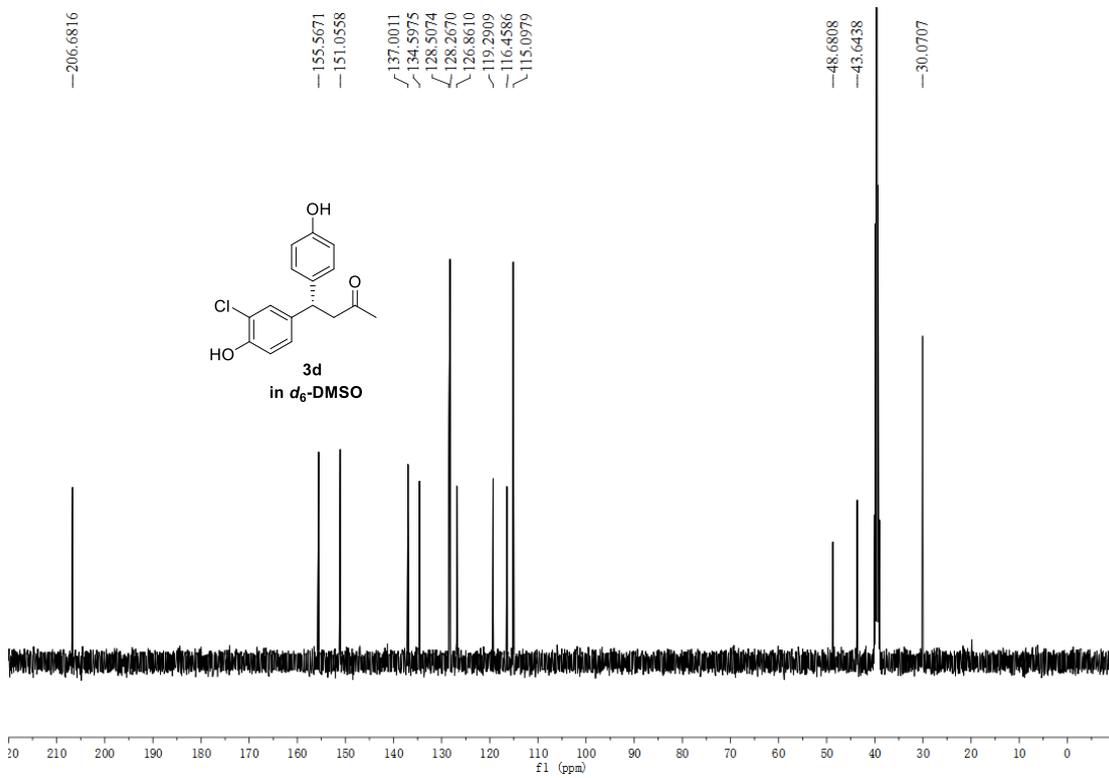
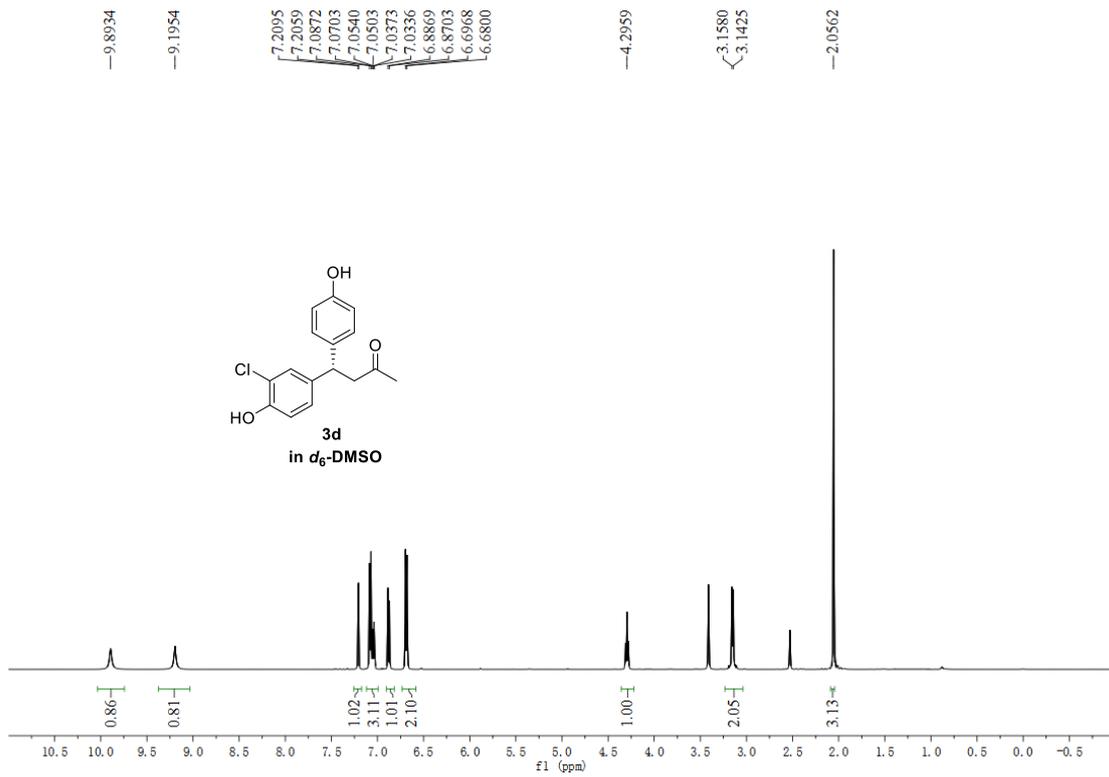
- [1] Uson, R.; Oro, L. A.; Cabeza, J. A. *Inorg. Synth.* **1985**, *23*, 126.
- [2] (a) Nishimura, T.; Noishiki, A.; Tsui, G. C.; Hayashi, T. *J. Am. Chem. Soc.* **2012**, *134*, 5056. (b) Okamoto, K.; Hayashi, T.; Rawal, V. H. *Org. Lett.* **2008**, *10*, 4387. (c) Okamoto, K.; Hayashi, T.; Rawal, V. H. *Chem. Commun.* **2009**, 4815.
- [3] Hatano, M.; Nishimura, T. *Angew. Chem. Int. Ed.* **2015**, *54*, 10949; *Angew. Chem.* **2015**, *127*, 11099.
- [4] (a) Tokunaga, N.; Otomaru, Y.; Okamoto, K.; Ueyama, K.; Shintani, R.; Hayashi, T. *J. Am. Chem. Soc.* **2004**, *126*, 13584. (b) Otomaru, Y.; Okamoto, K.; Shintani, R.; Hayashi, T. *J. Org. Chem.* **2005**, *70*, 2503. (c) Abele, S.; Inauen, R.; Spielvogel, D.; Moessner, C. *J. Org. Chem.* **2012**, *77*, 4765.
- [5] Daniela, B.; Airton, S.; Jason, T.; Carlos, C. *Org. Lett.* **2012**, *14*, 6036.

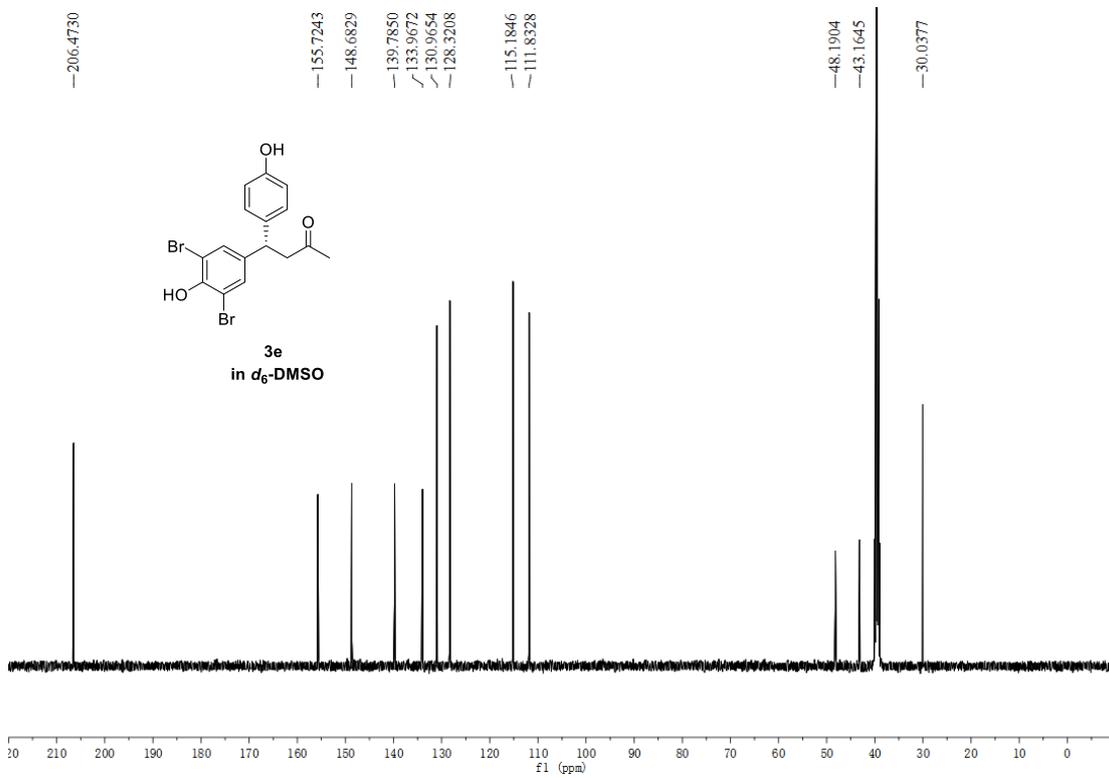
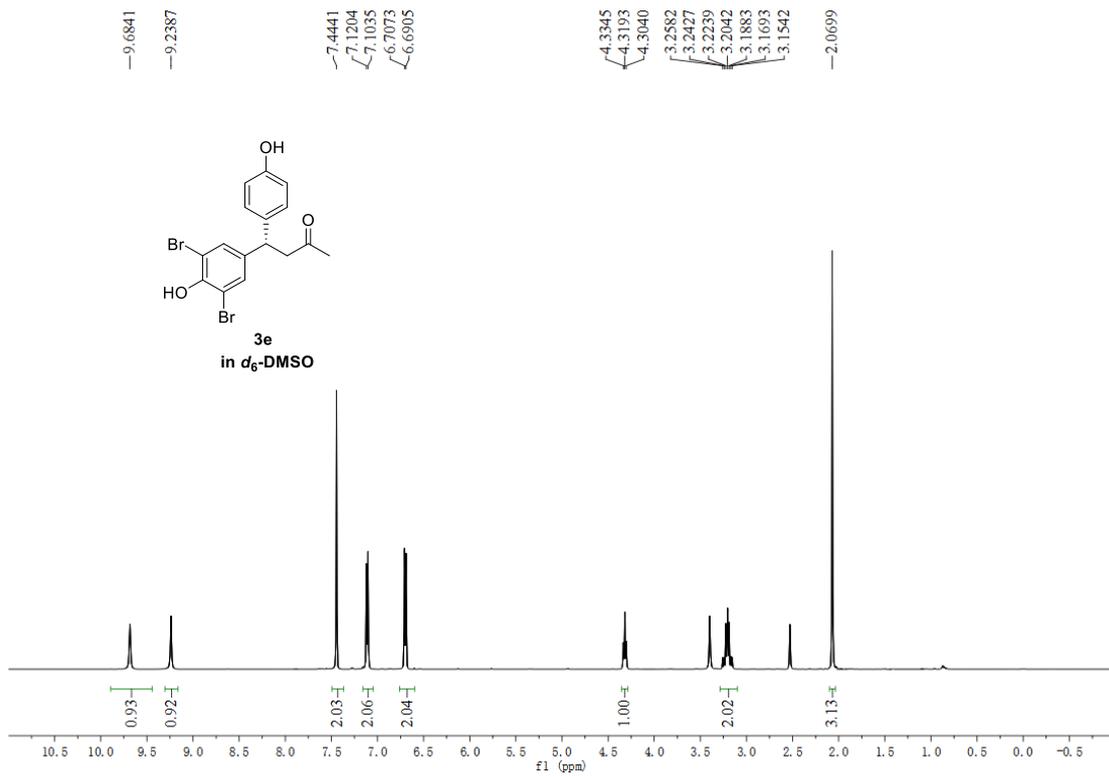
# 10. NMR Spectra

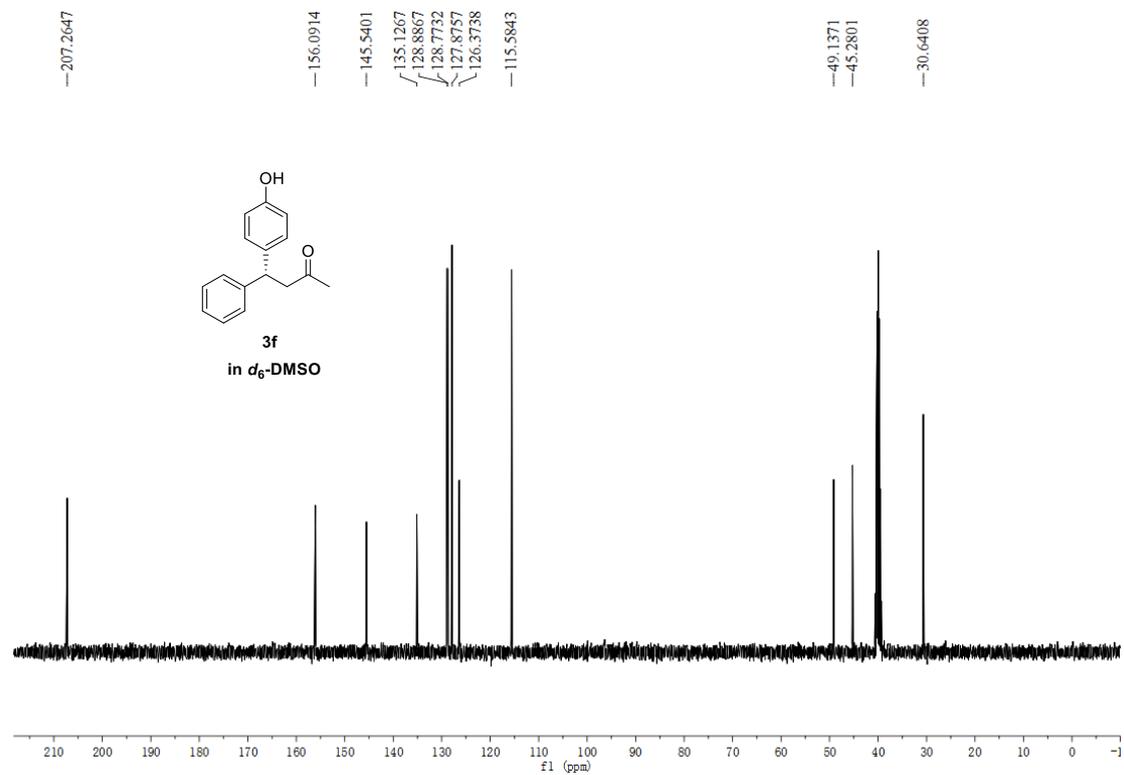
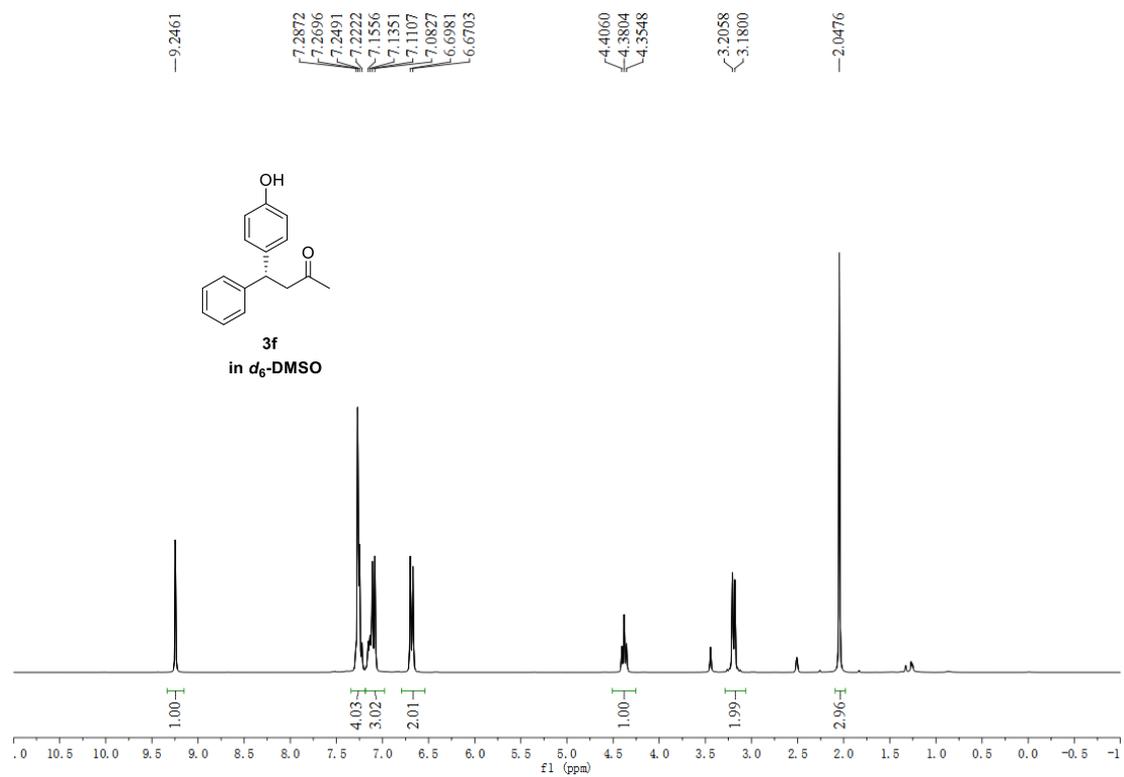


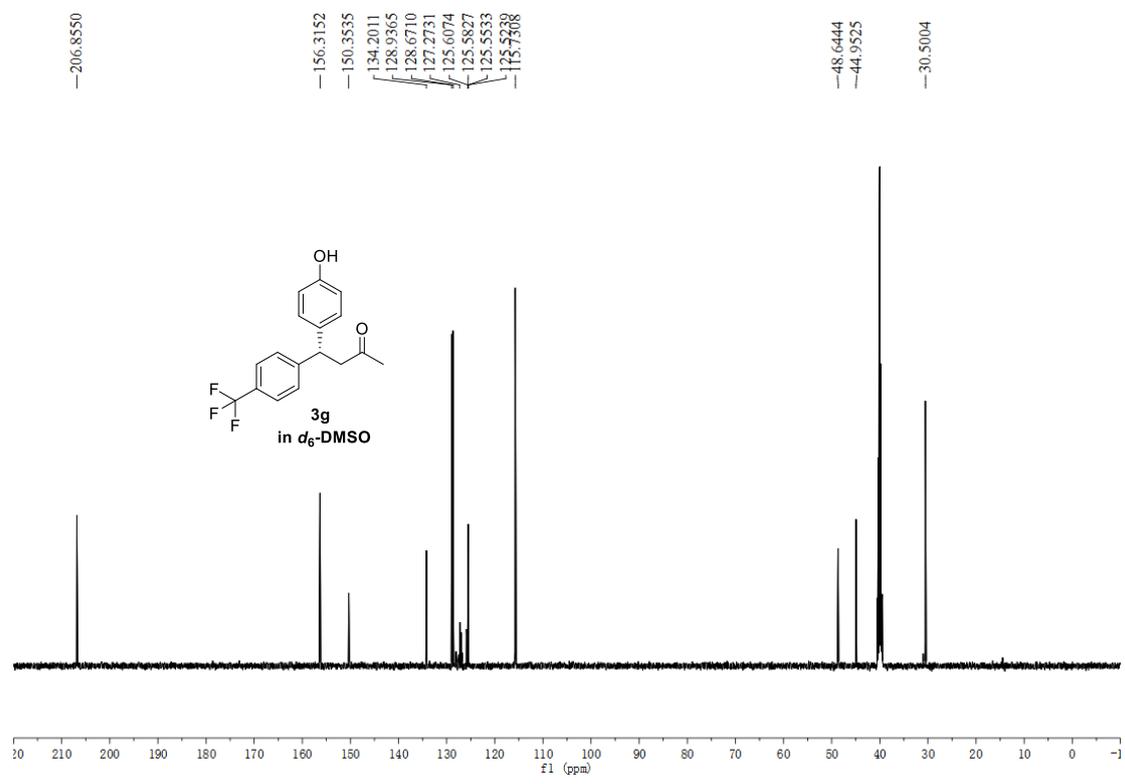
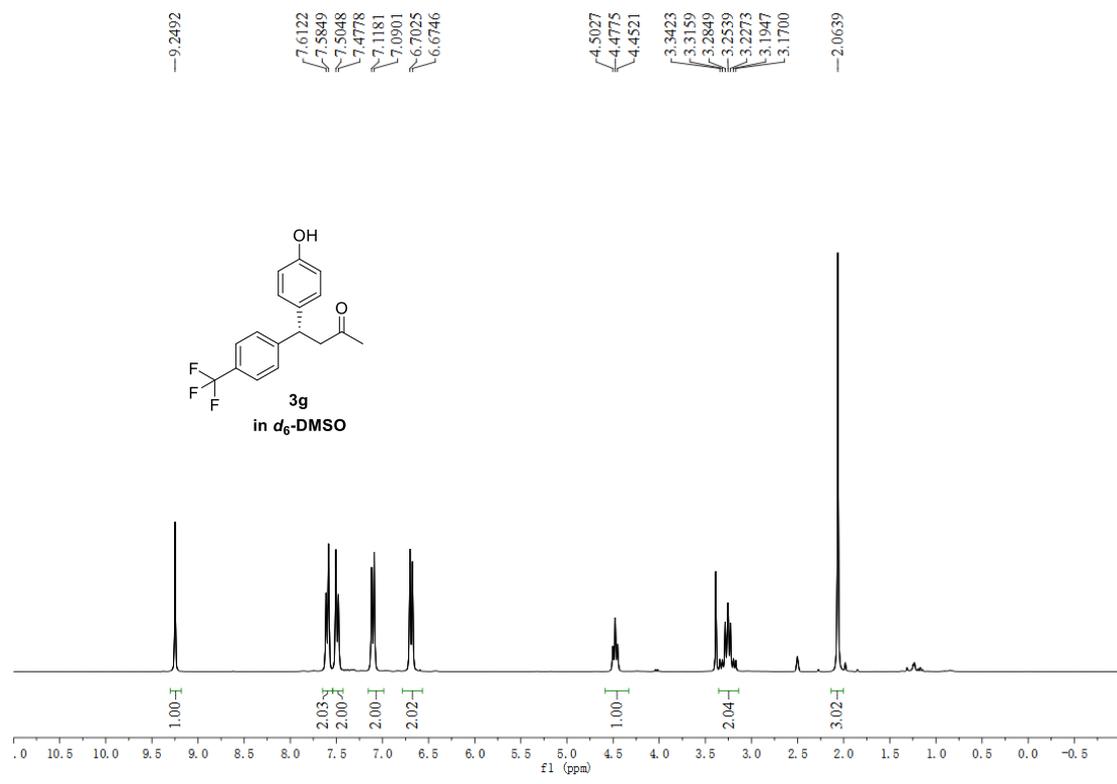


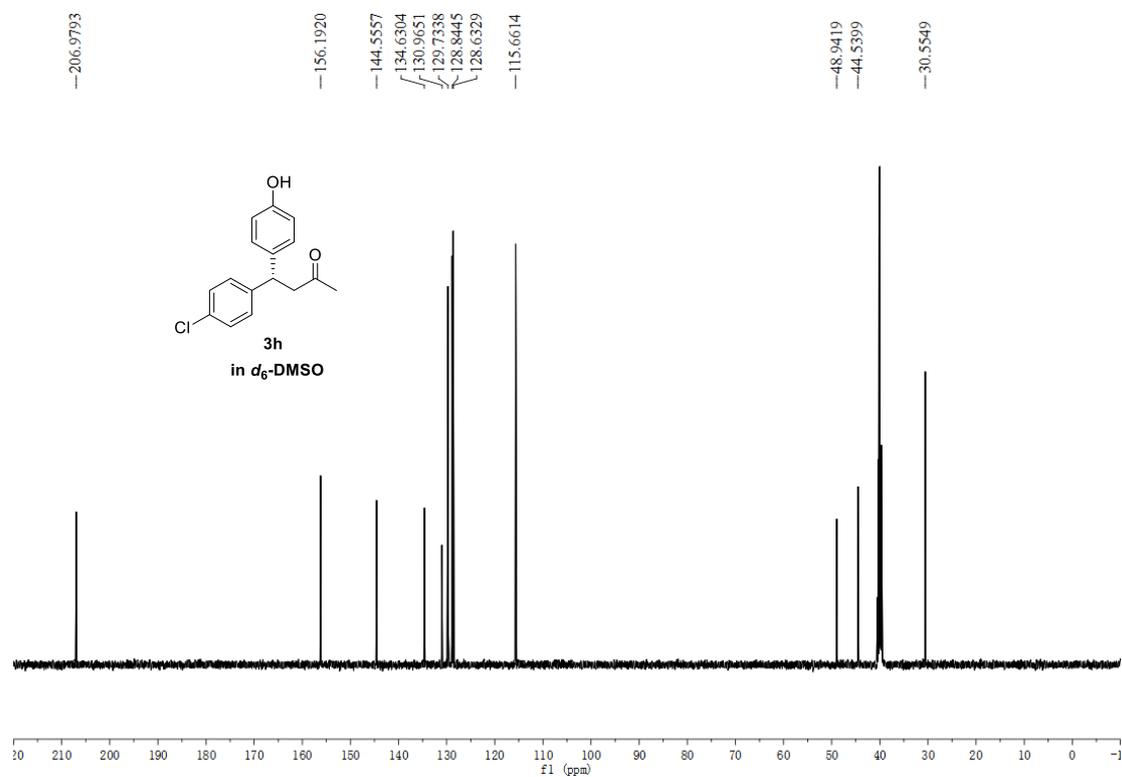
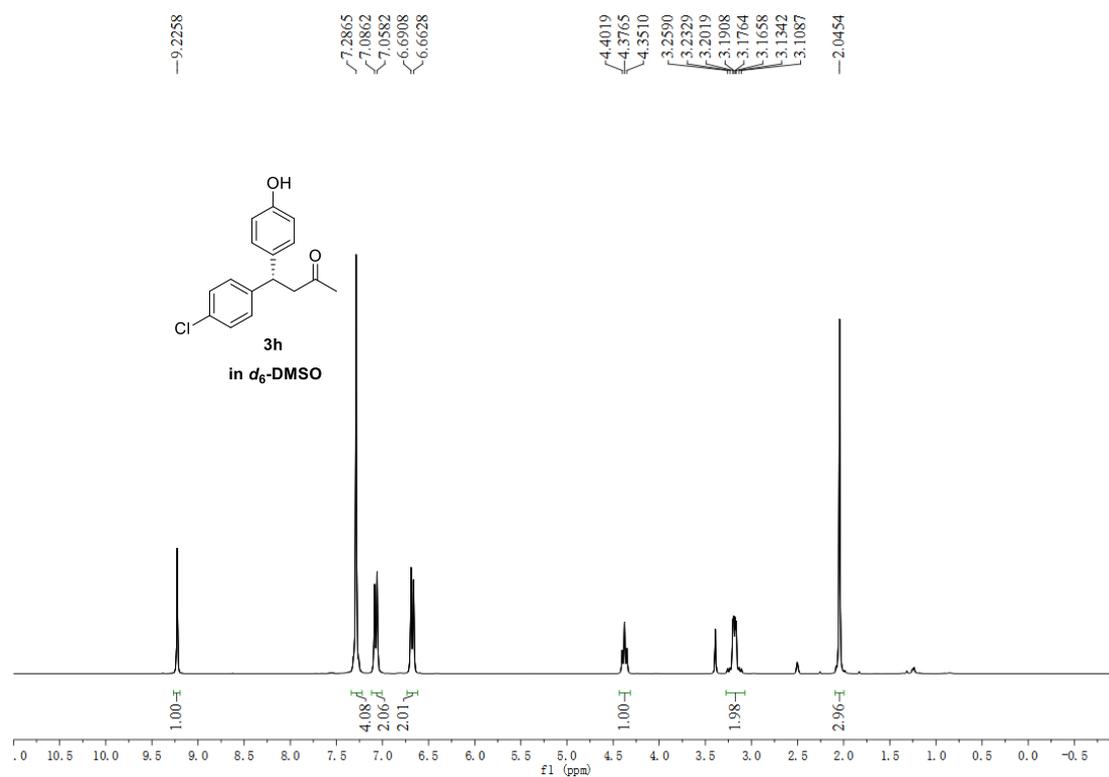


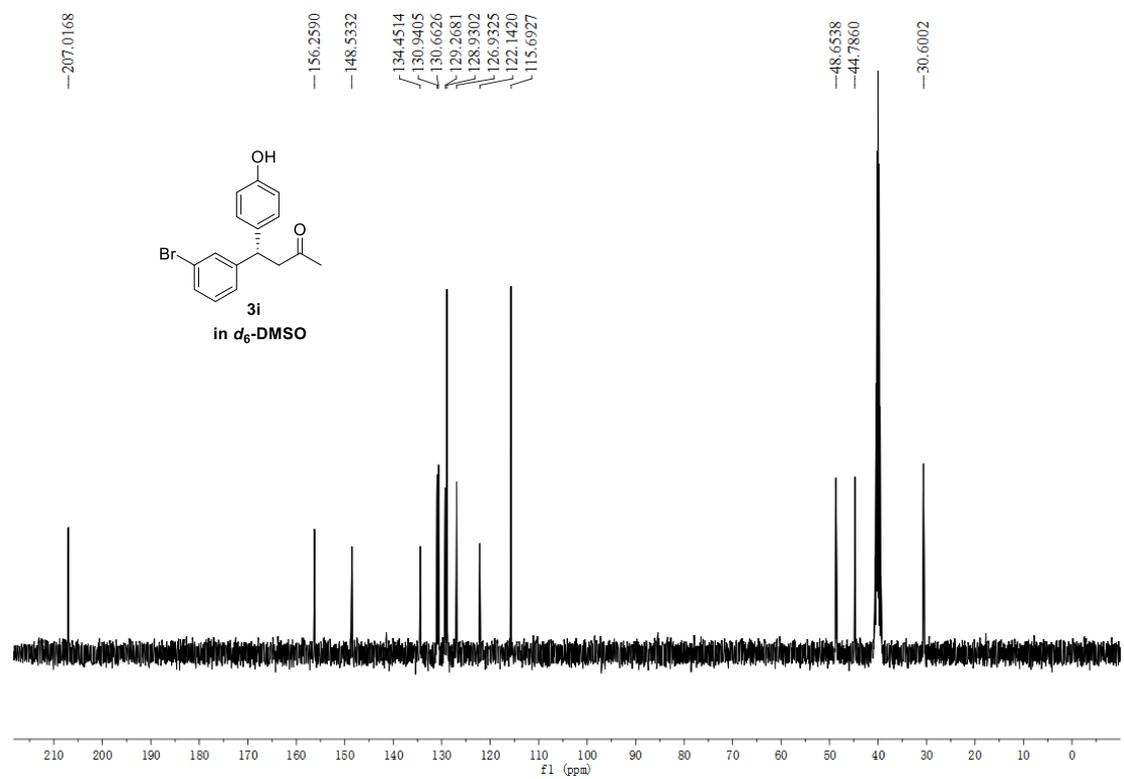
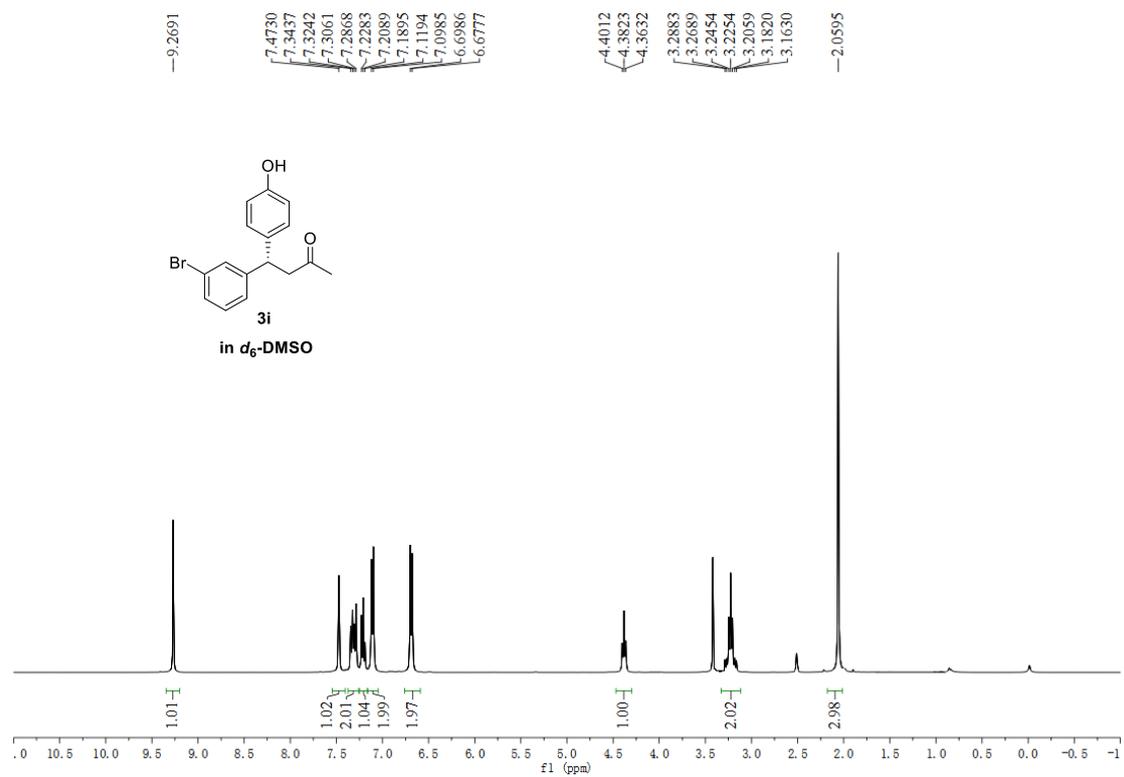


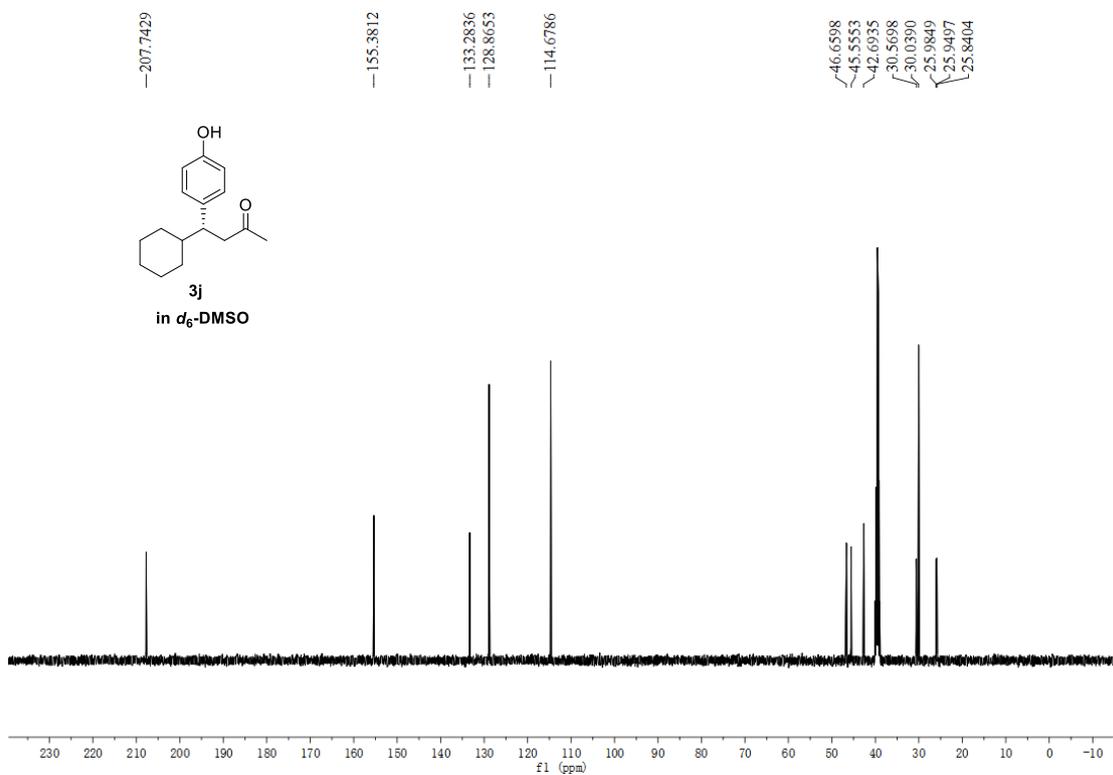
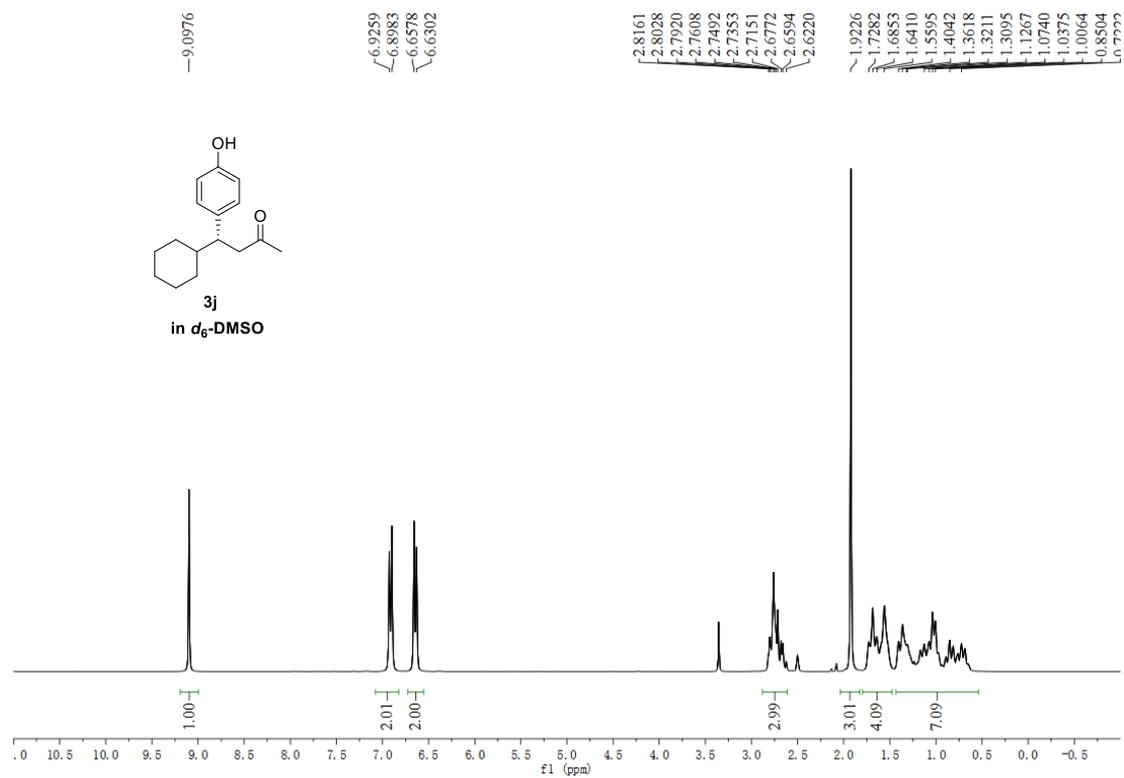


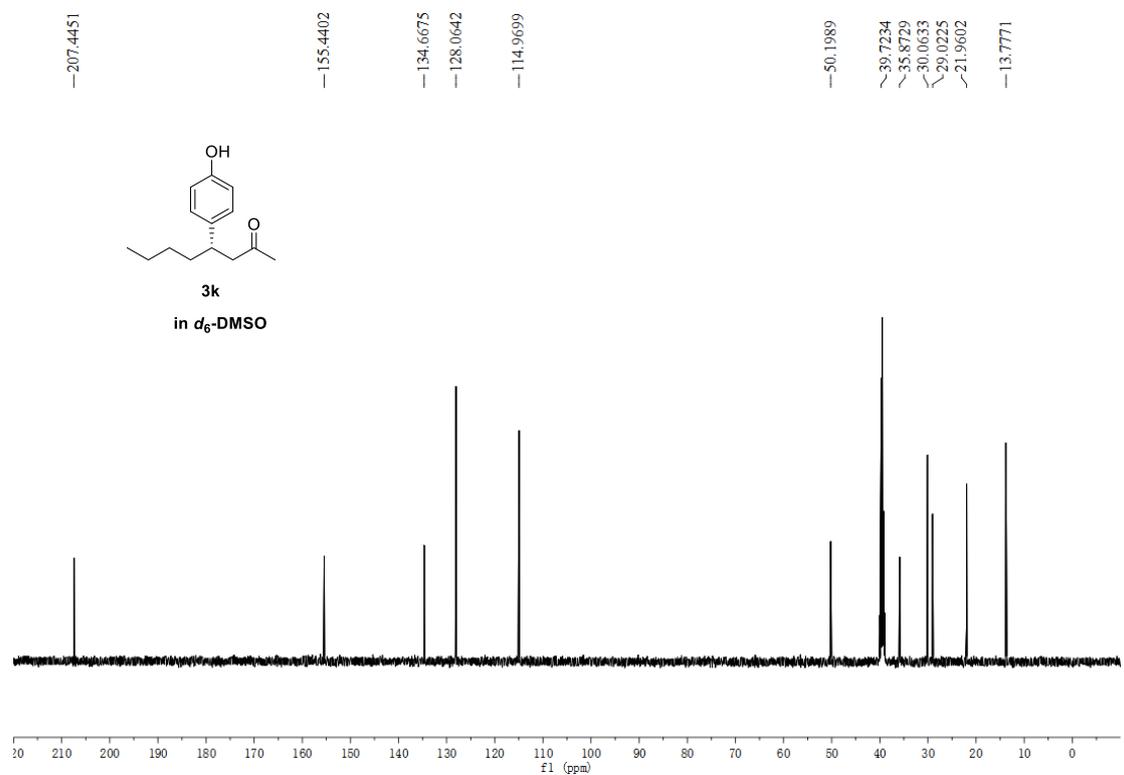
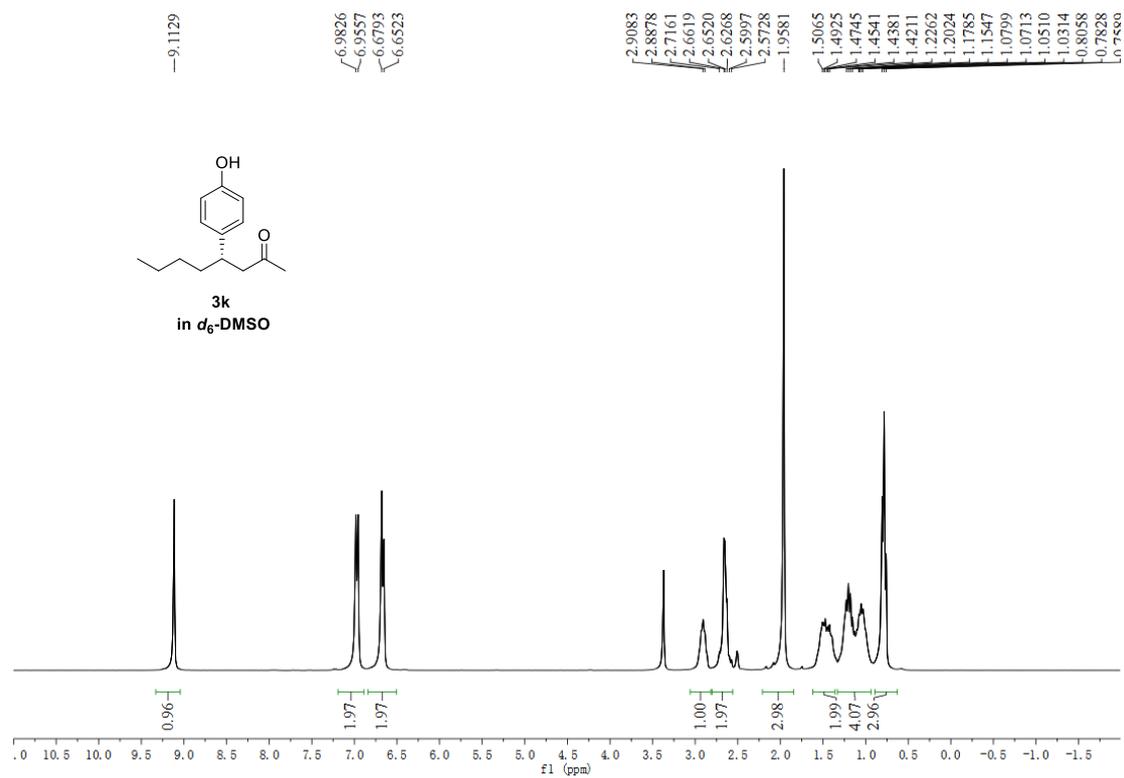


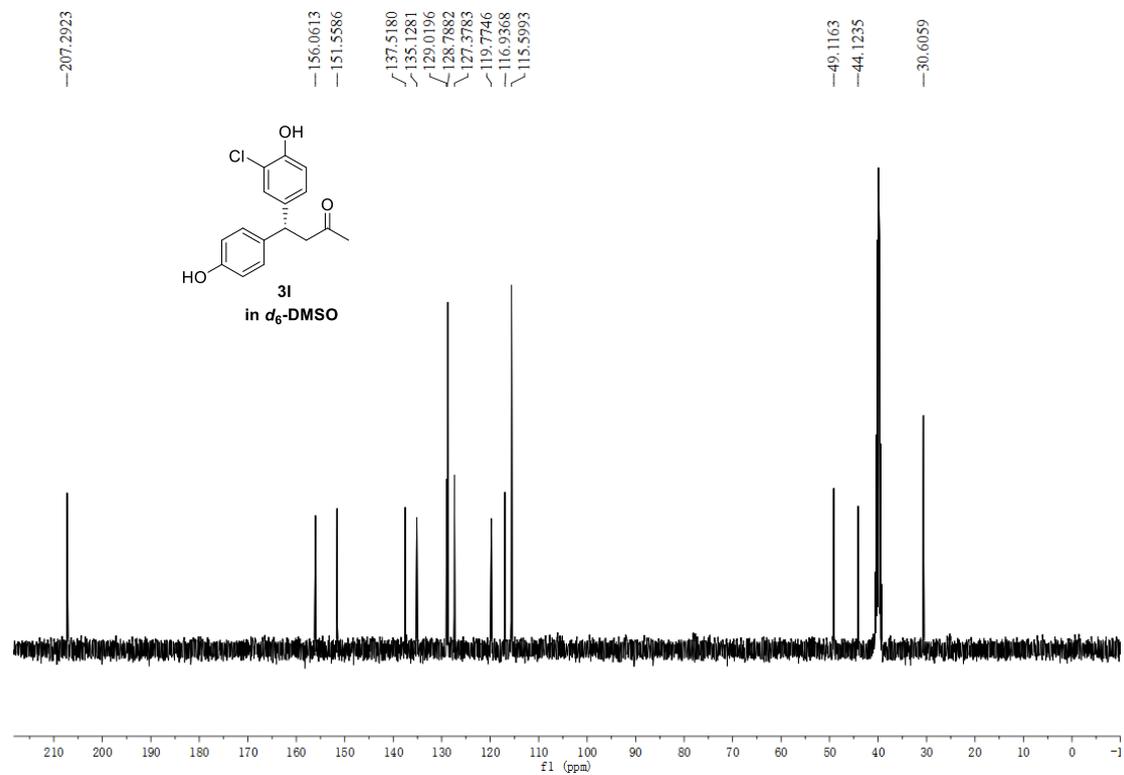
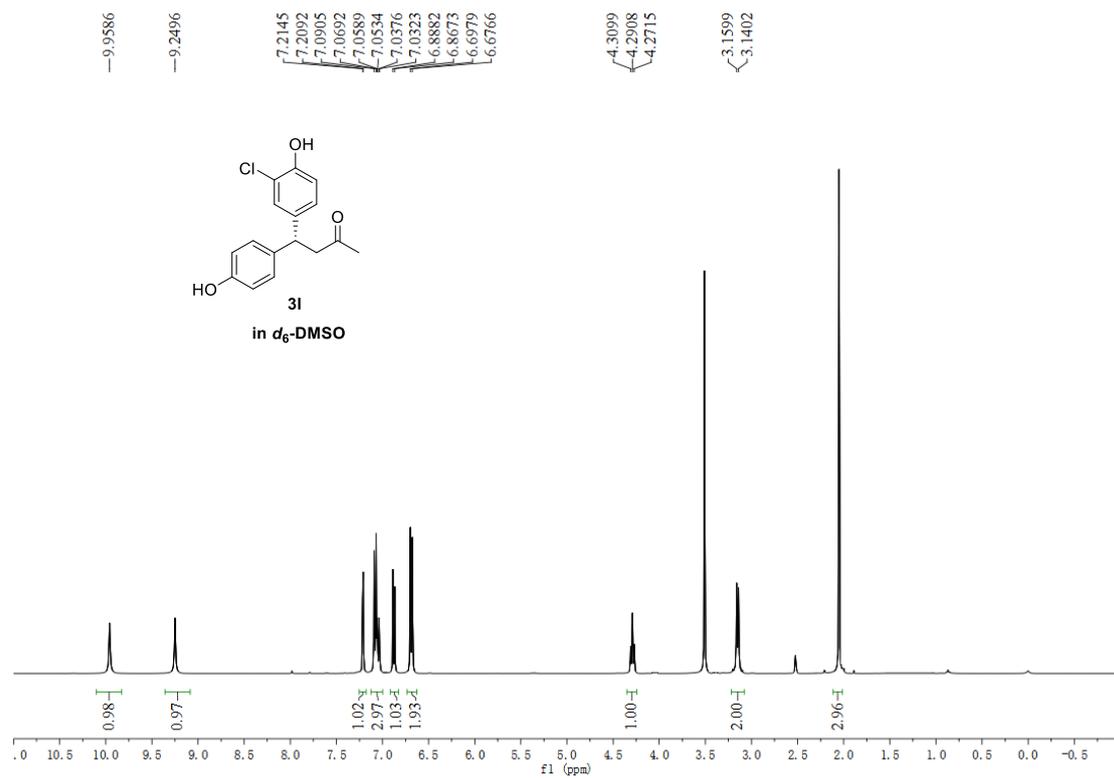


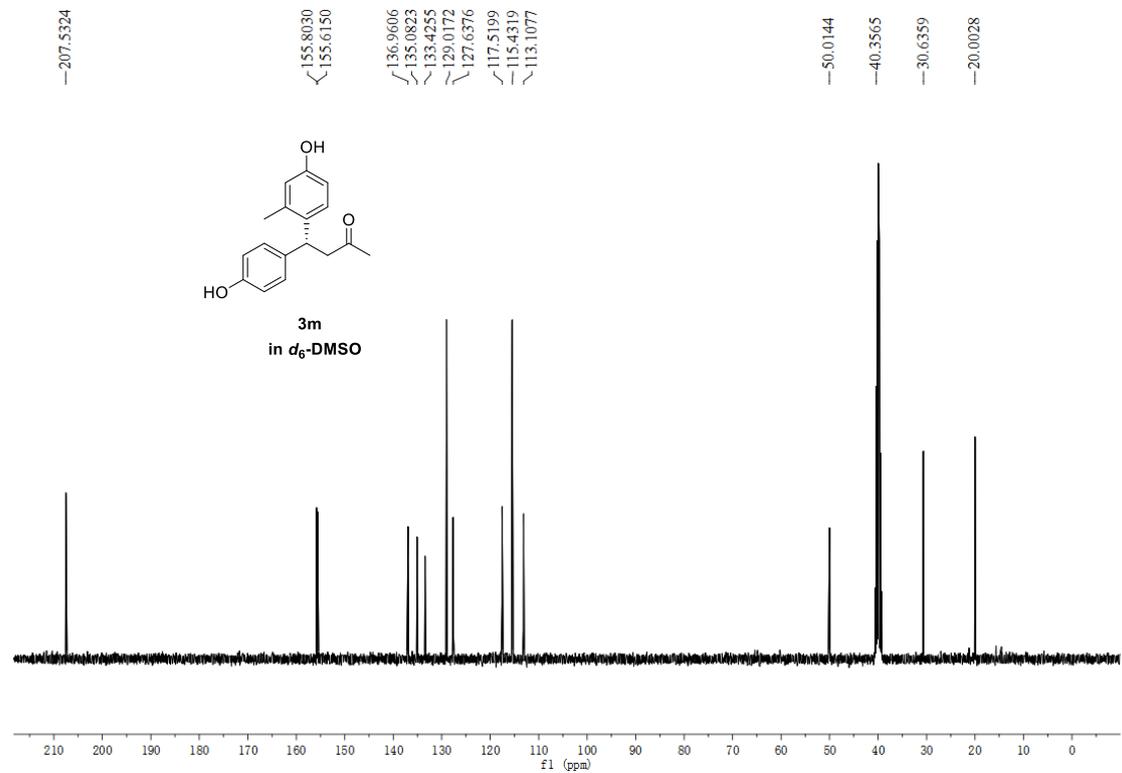
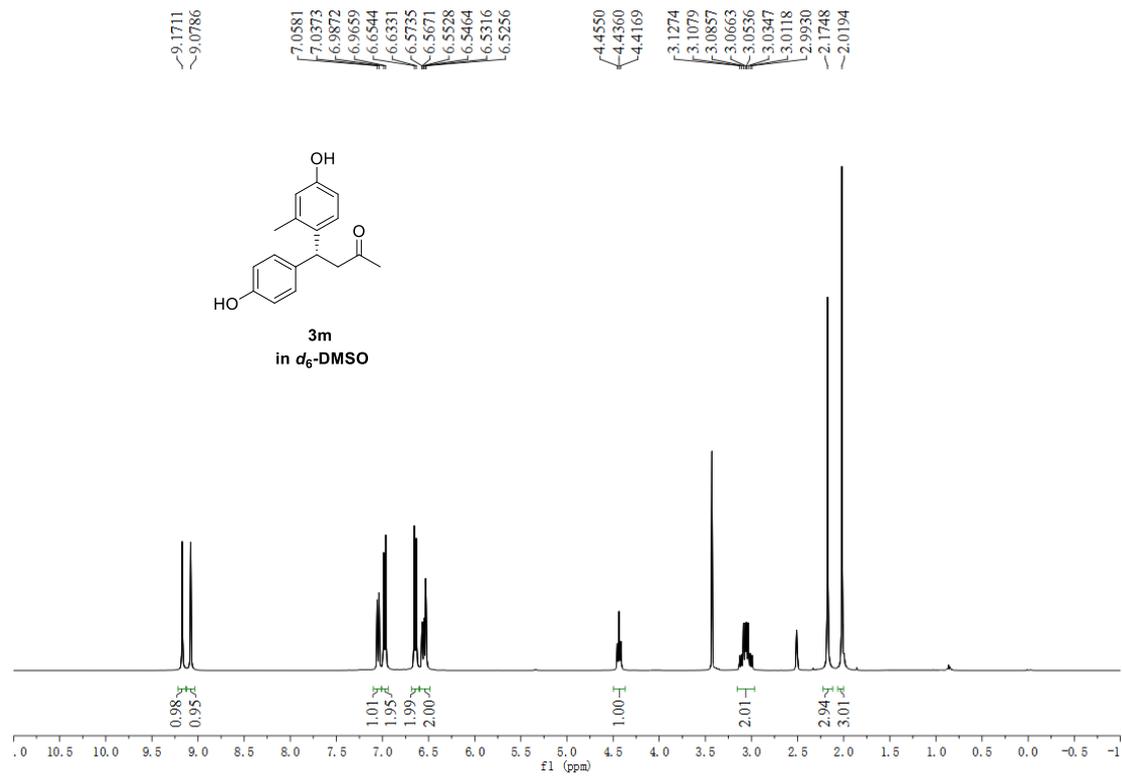


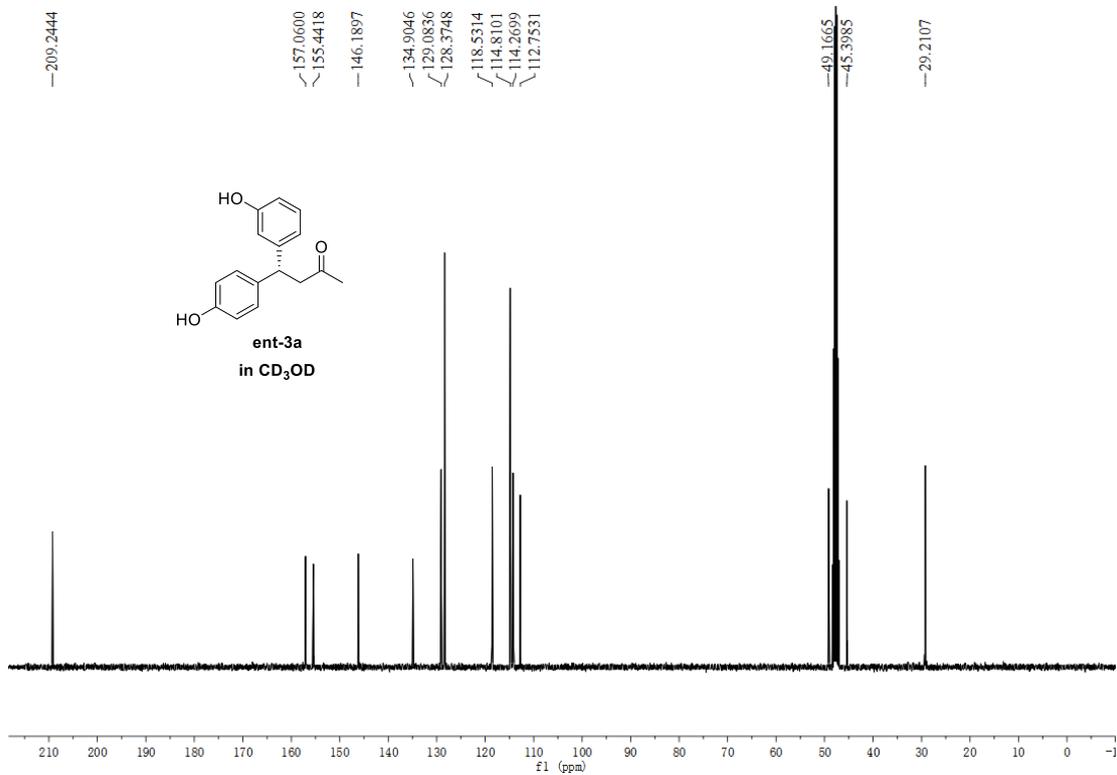
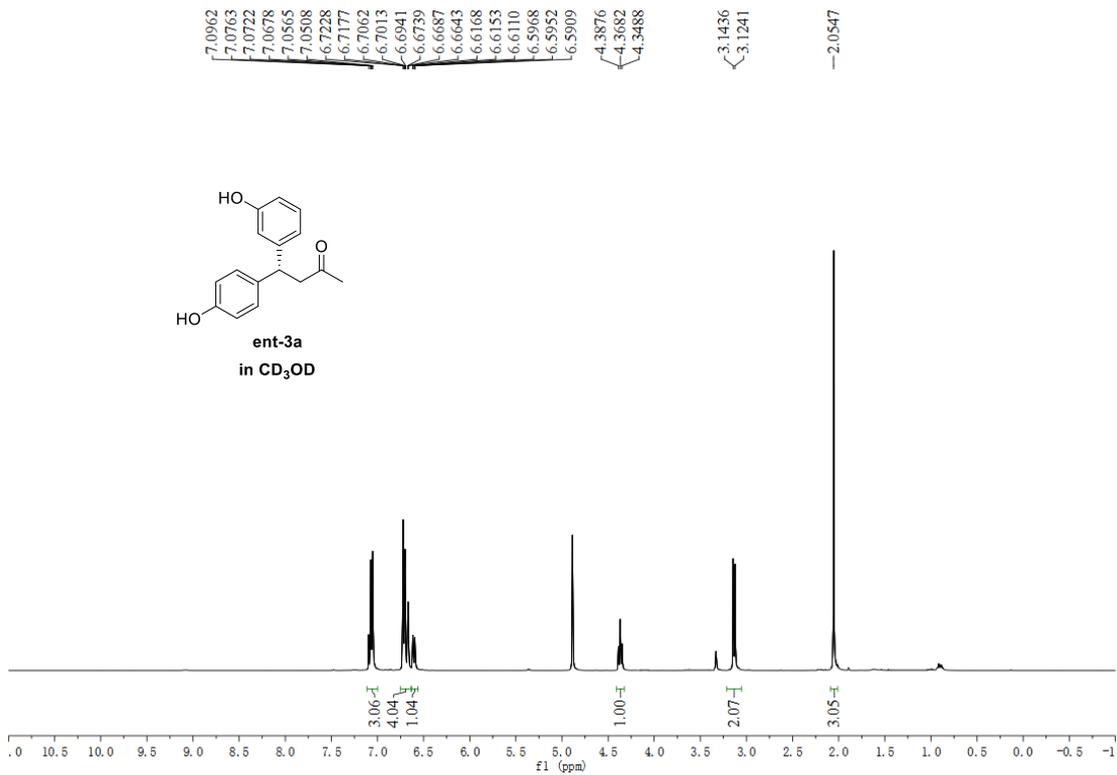


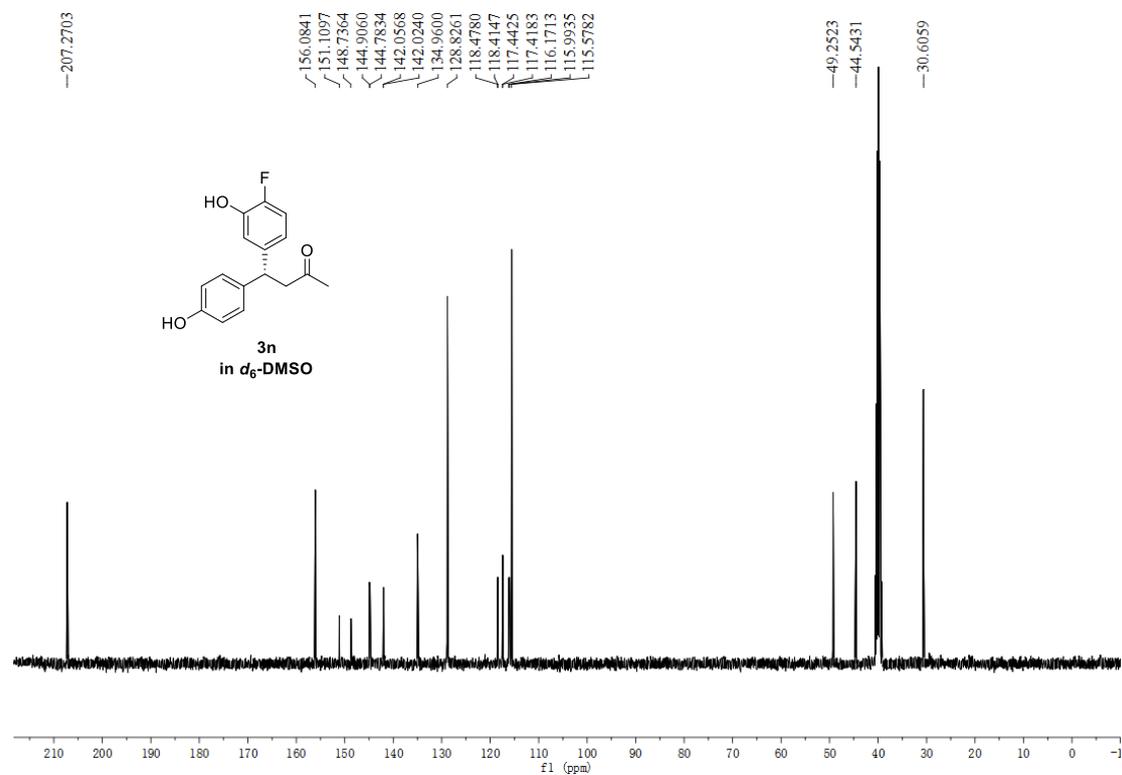
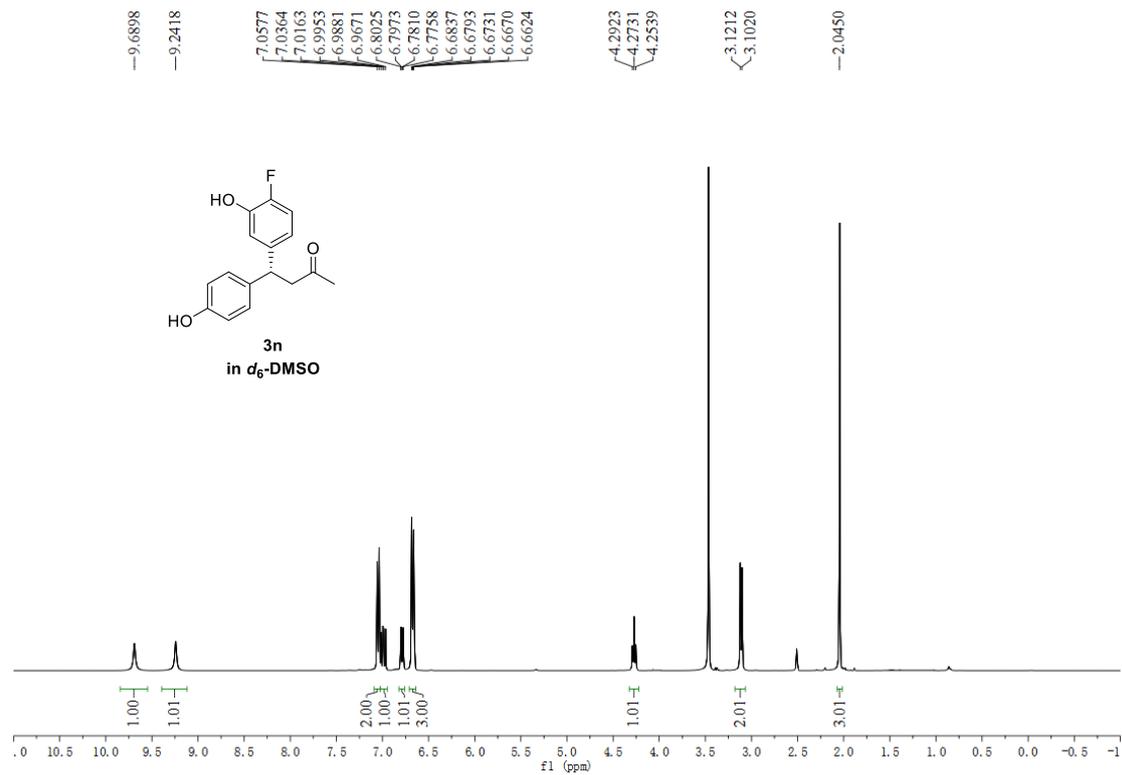


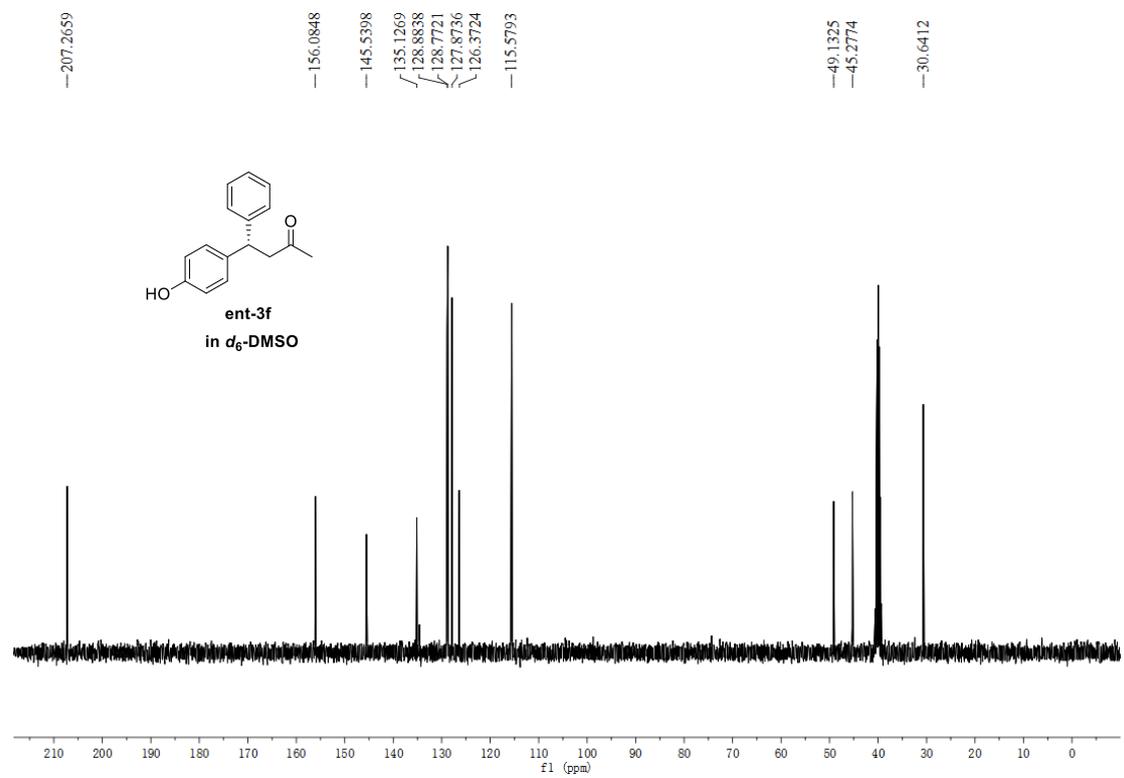
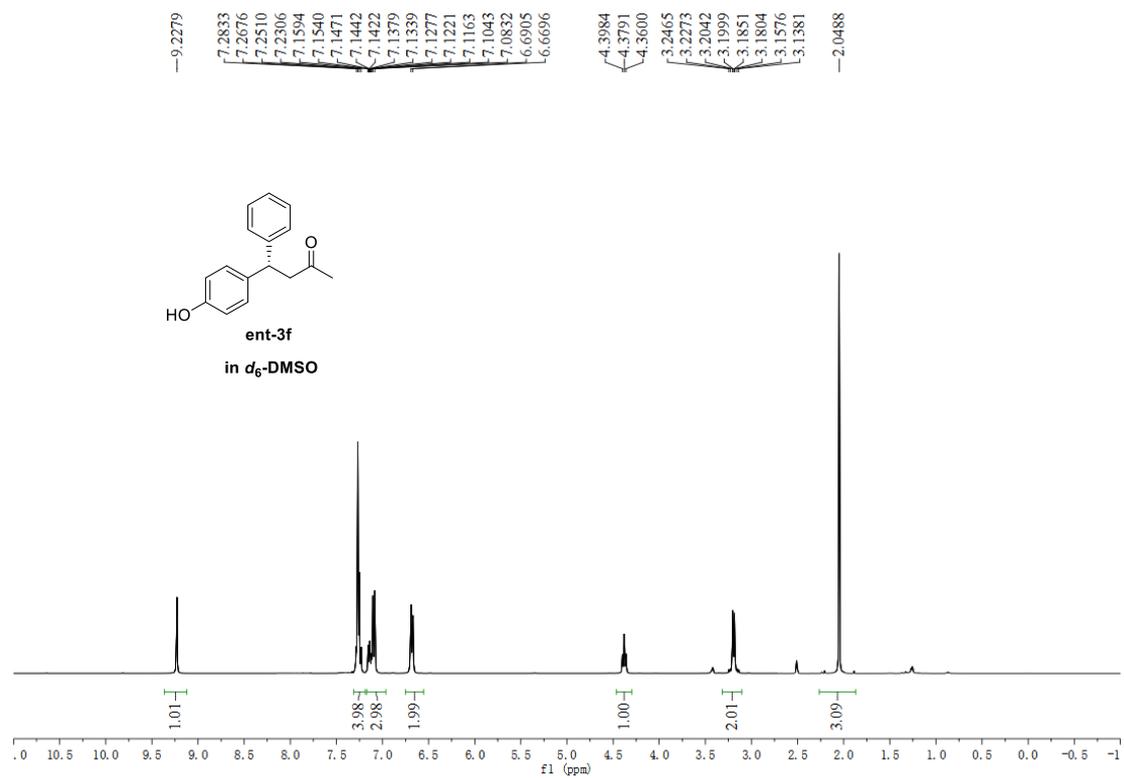




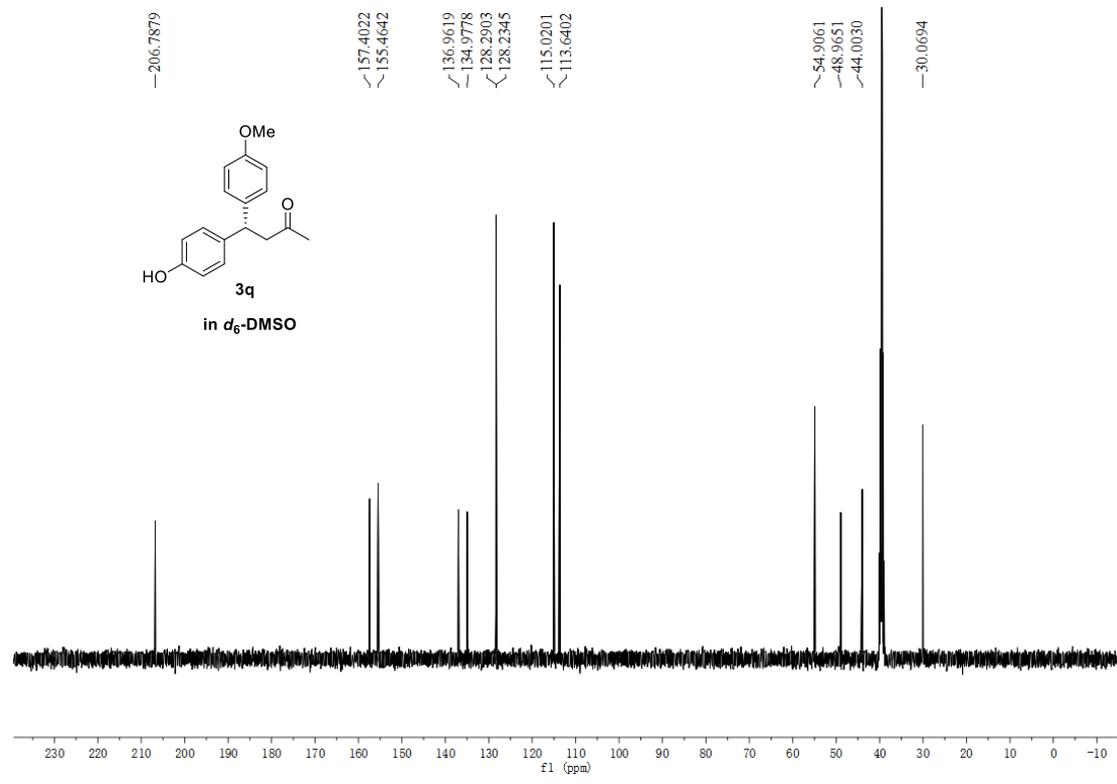
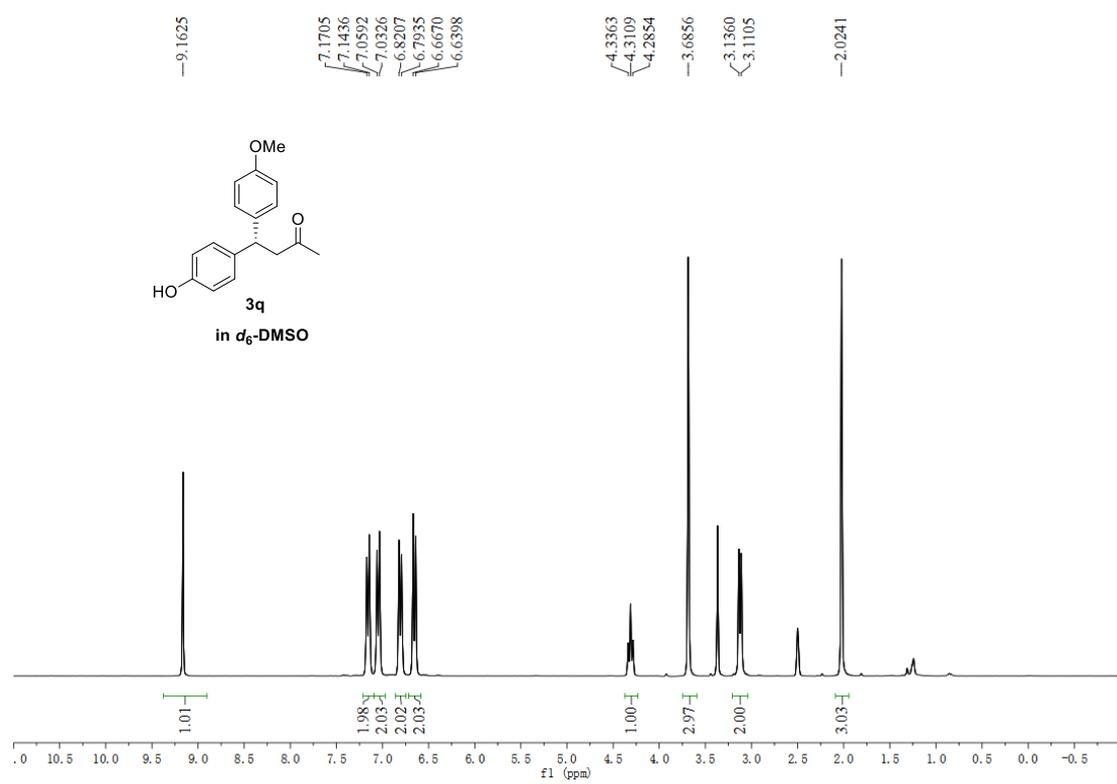


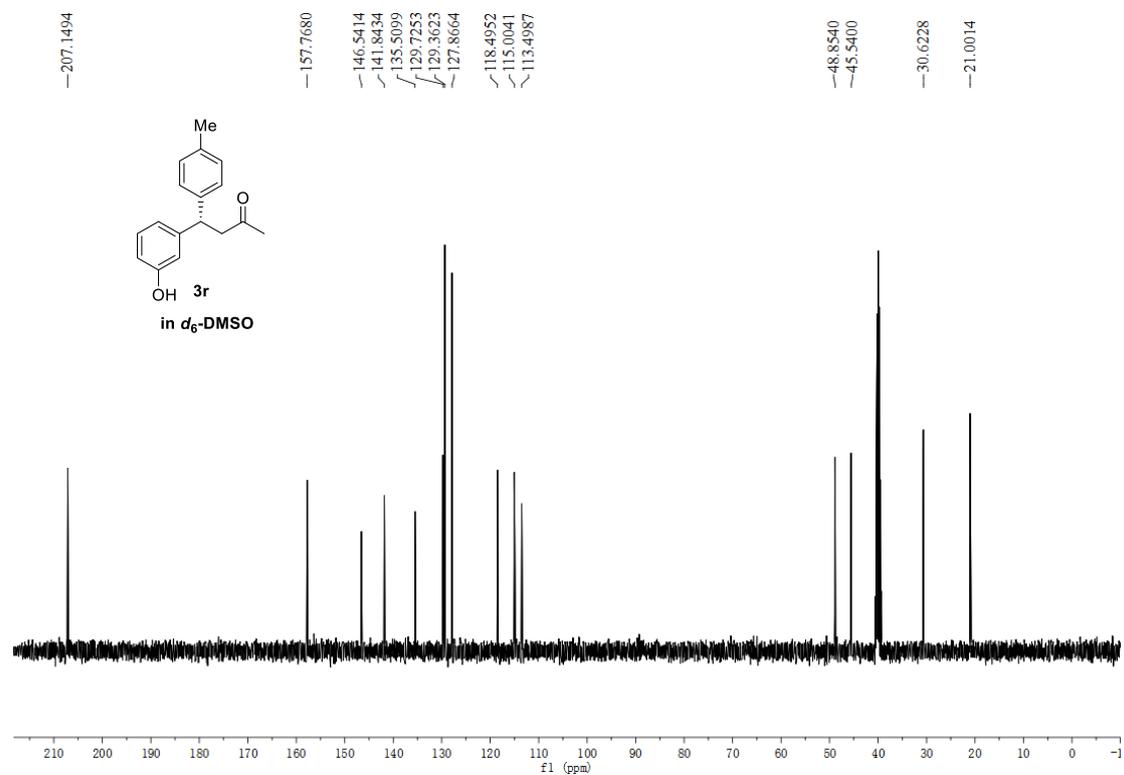
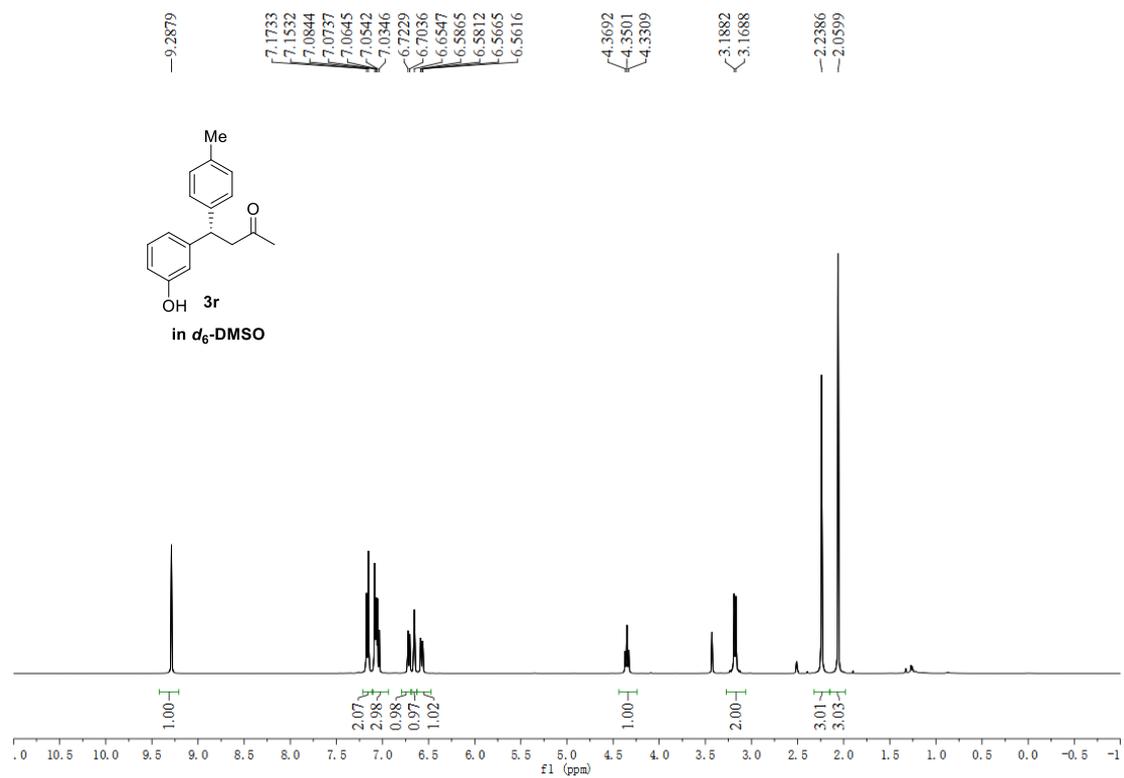


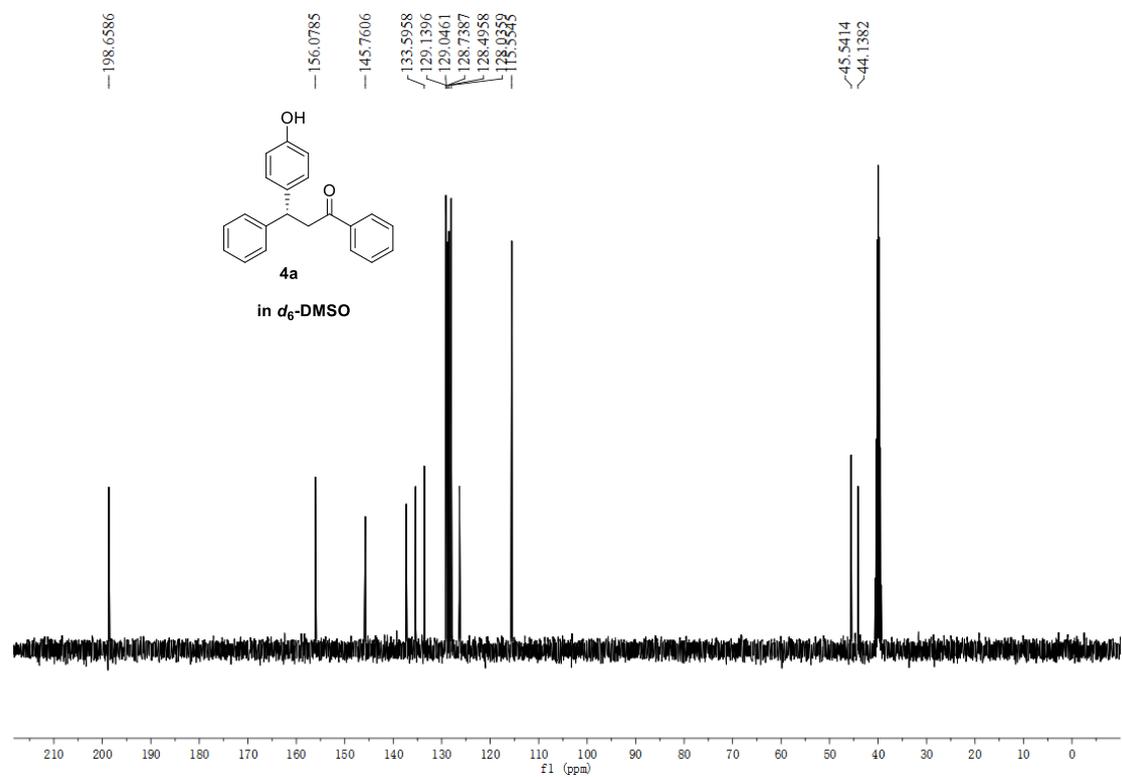
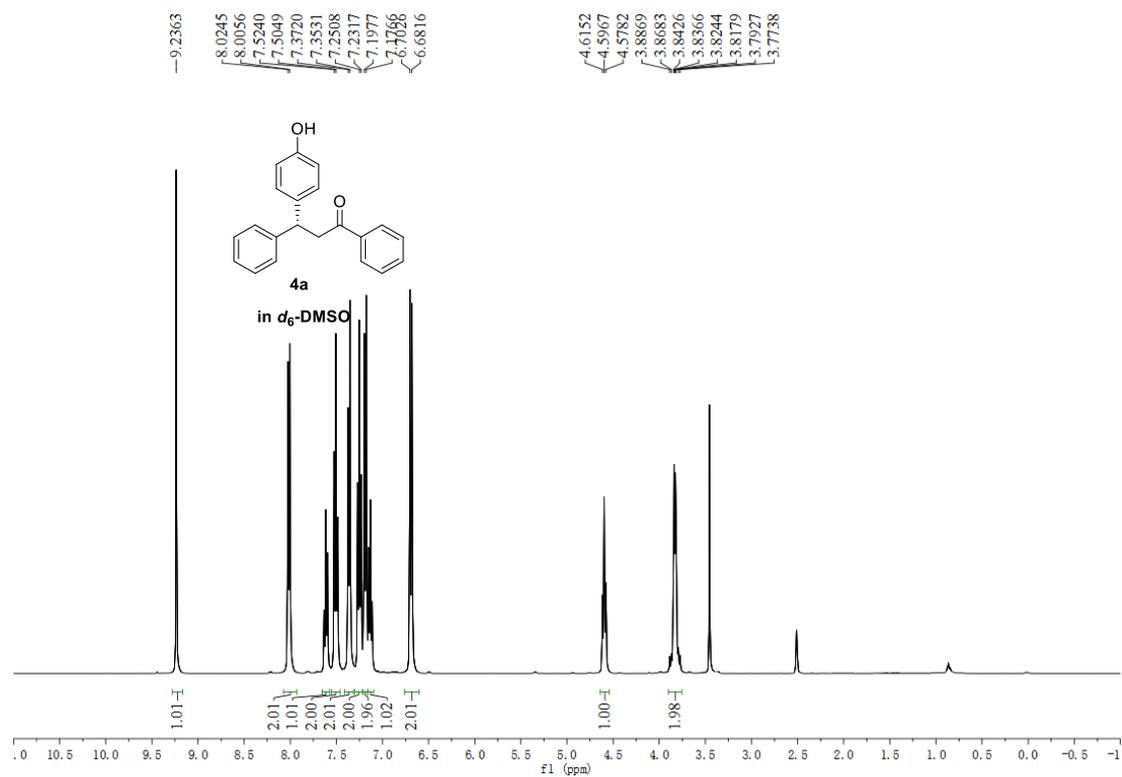


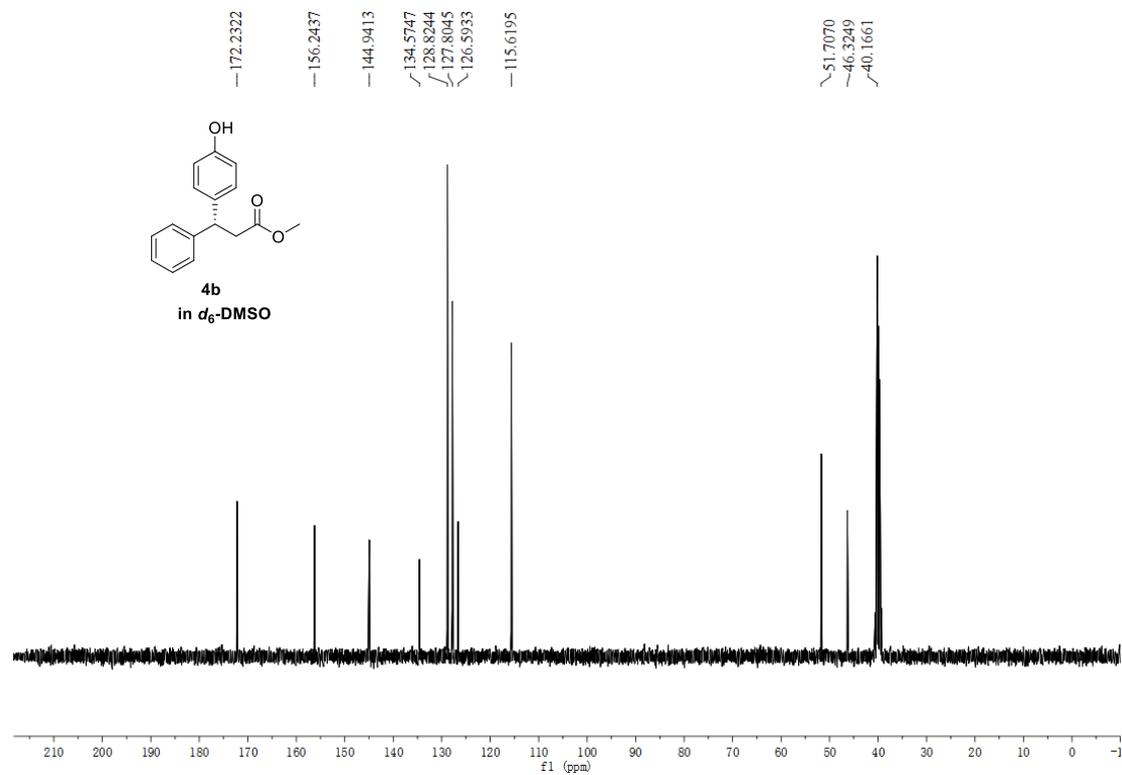
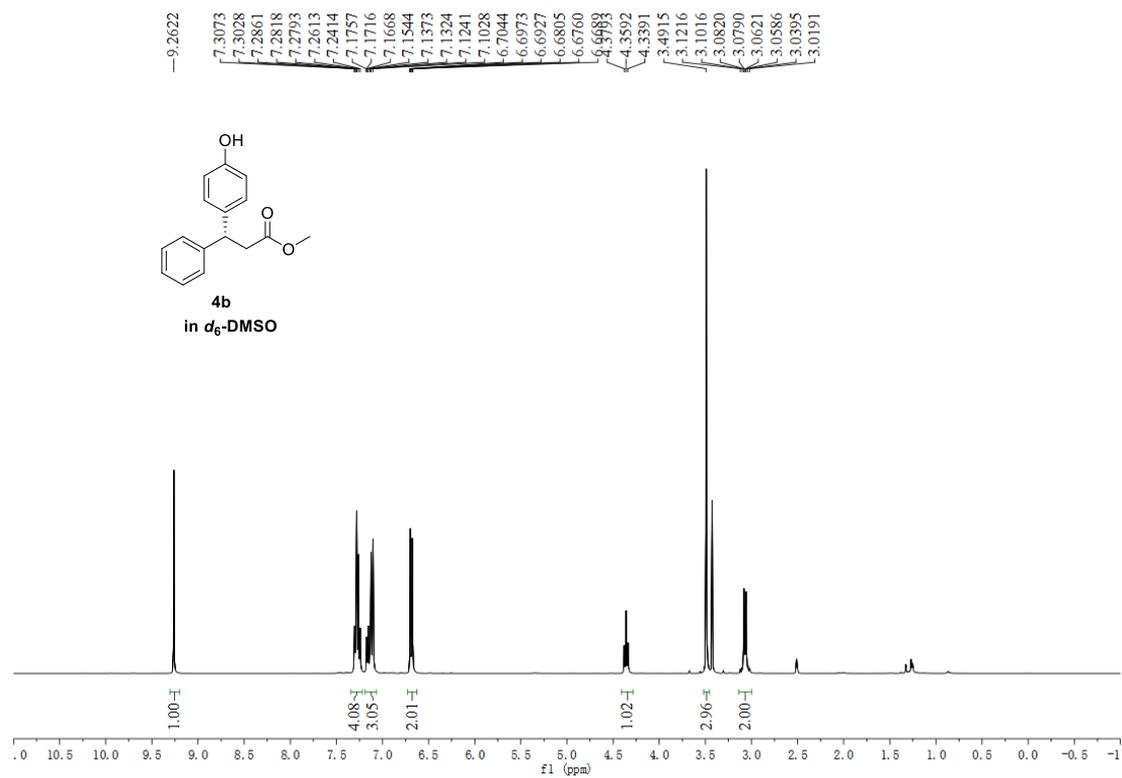


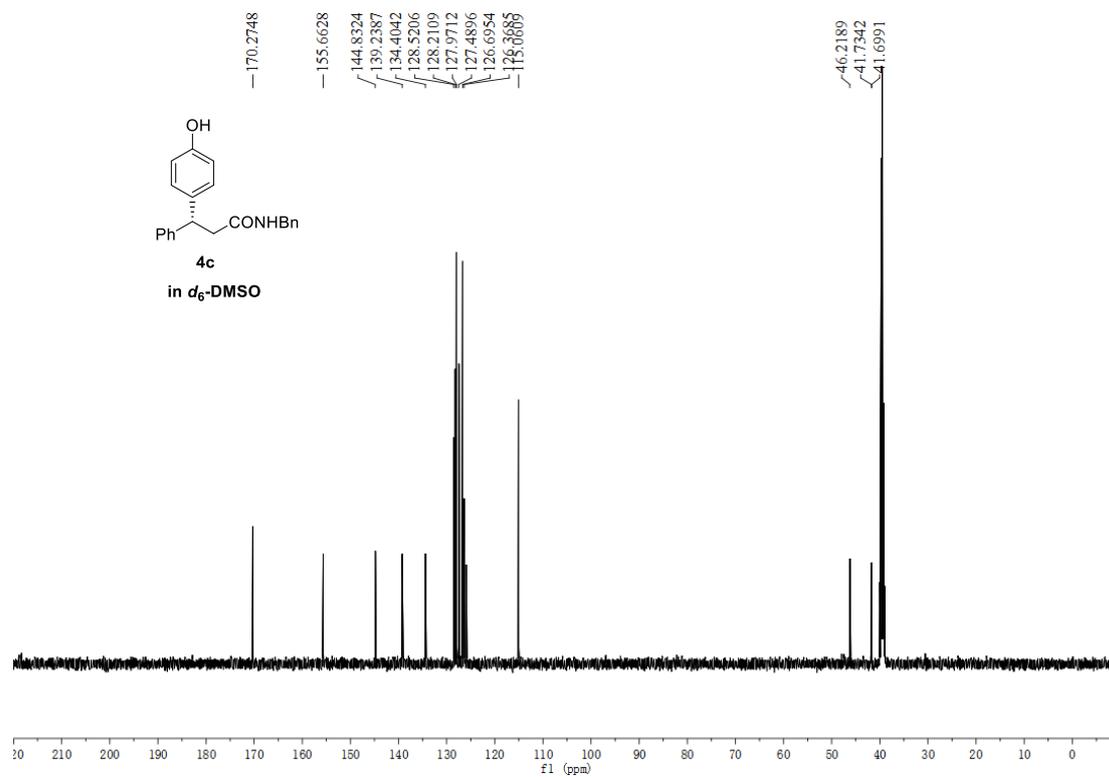
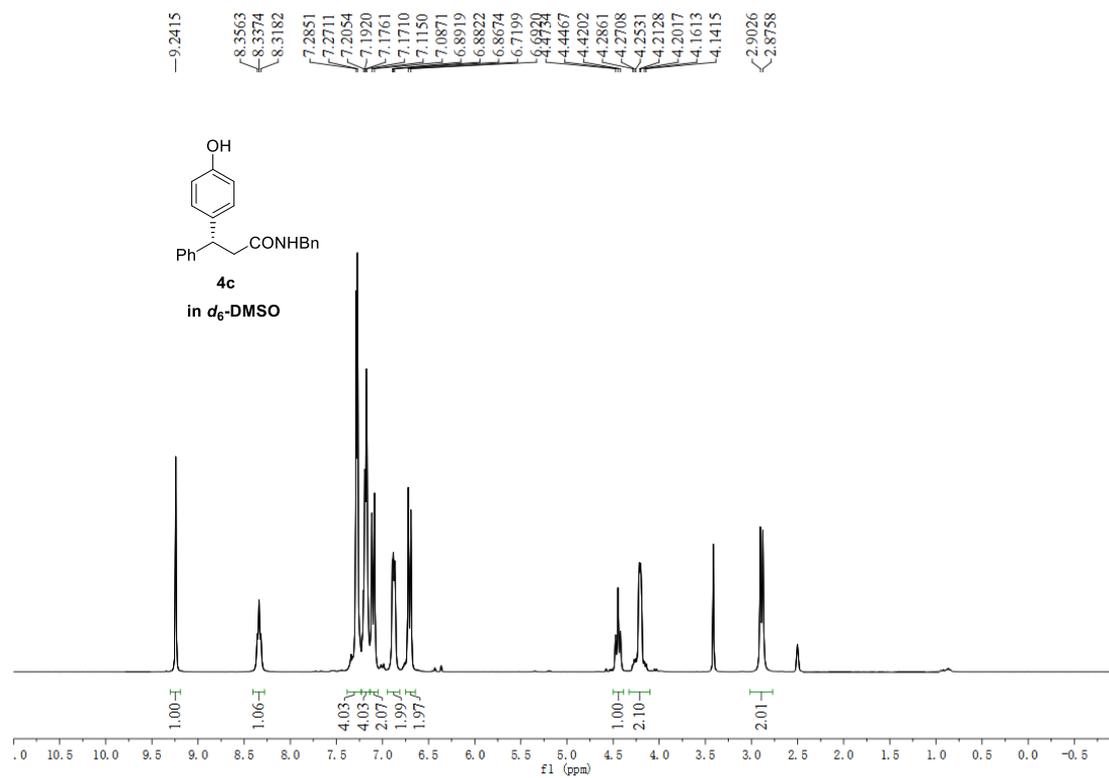


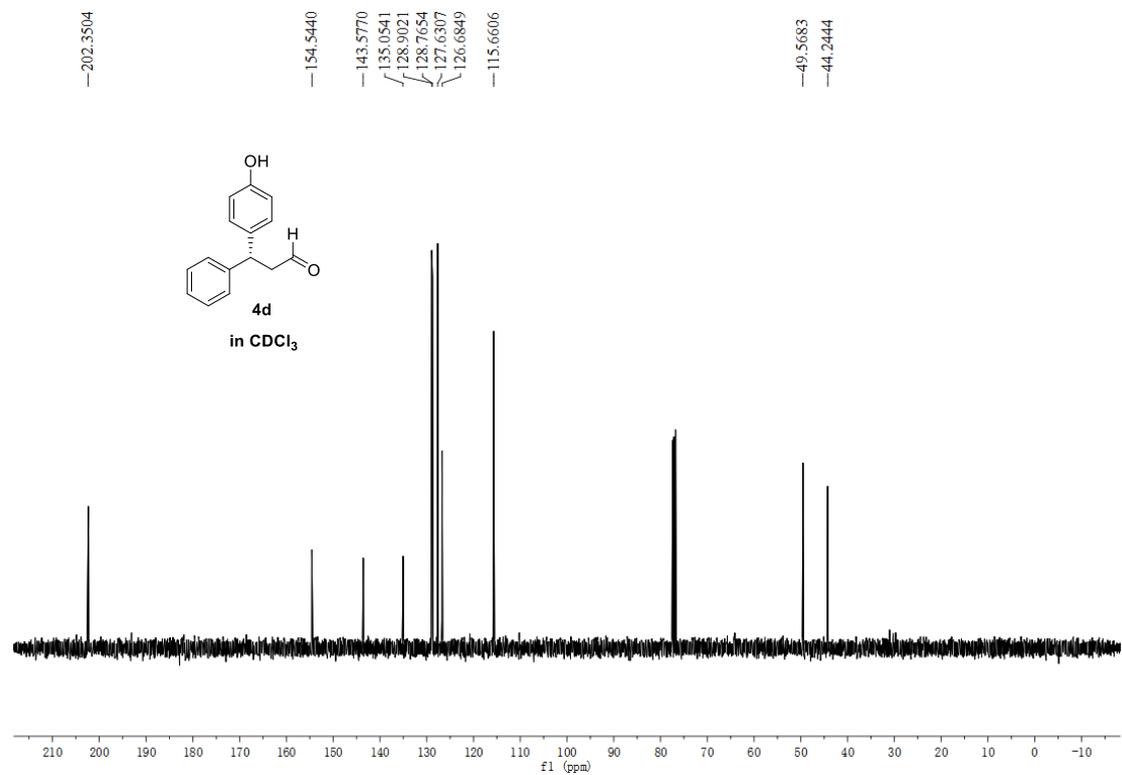
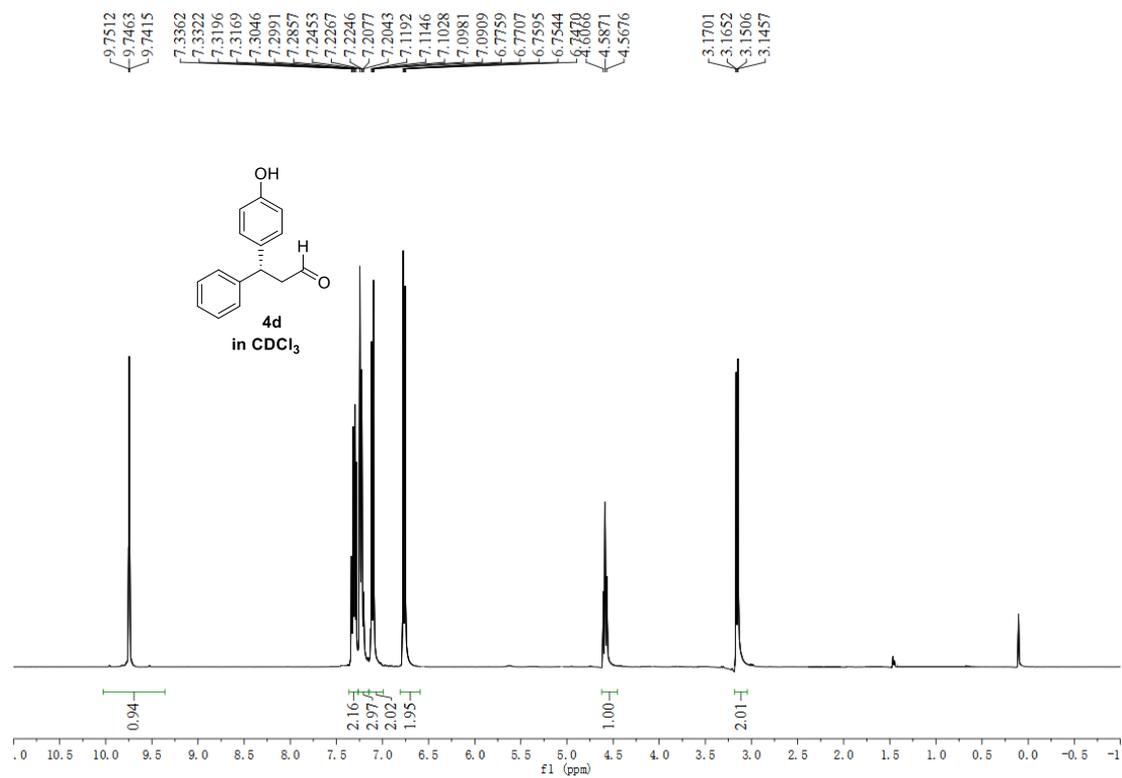


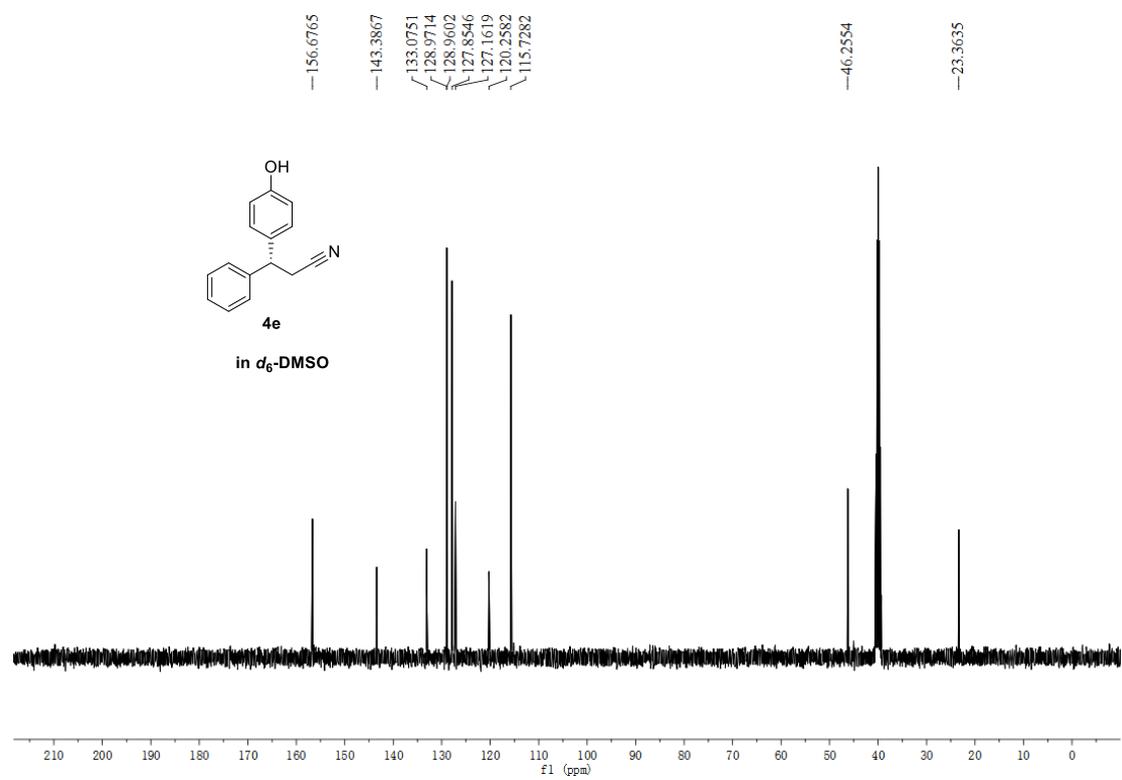
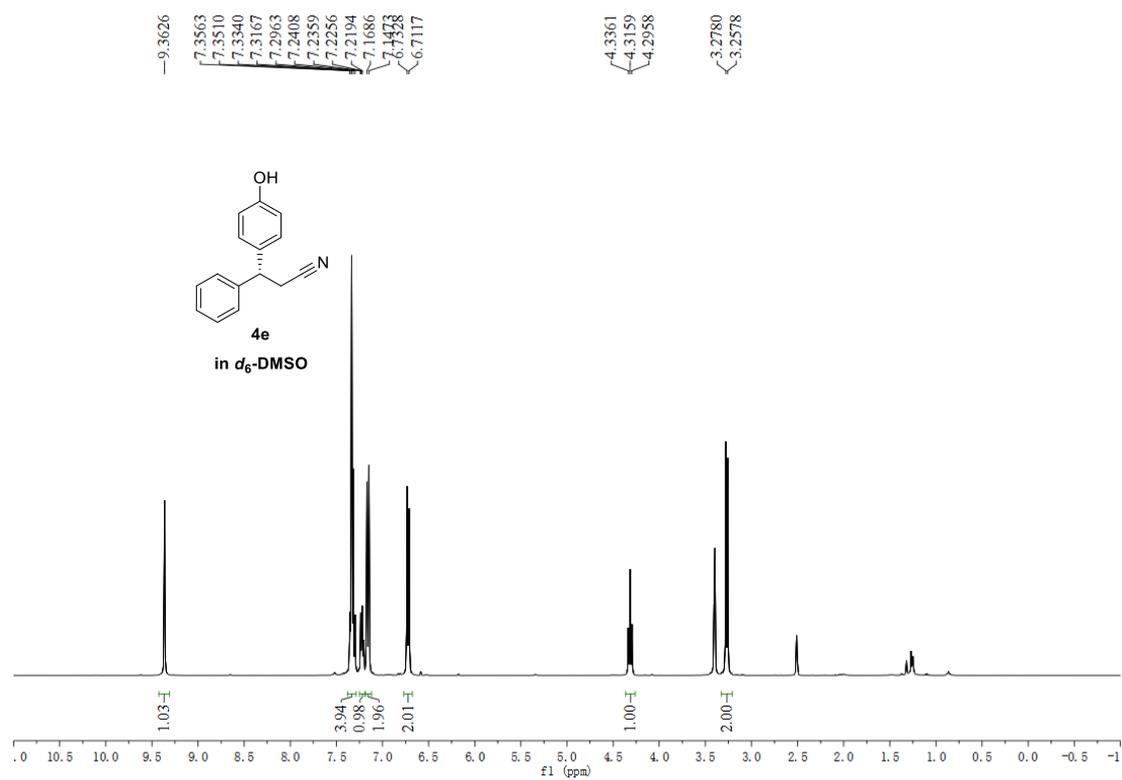


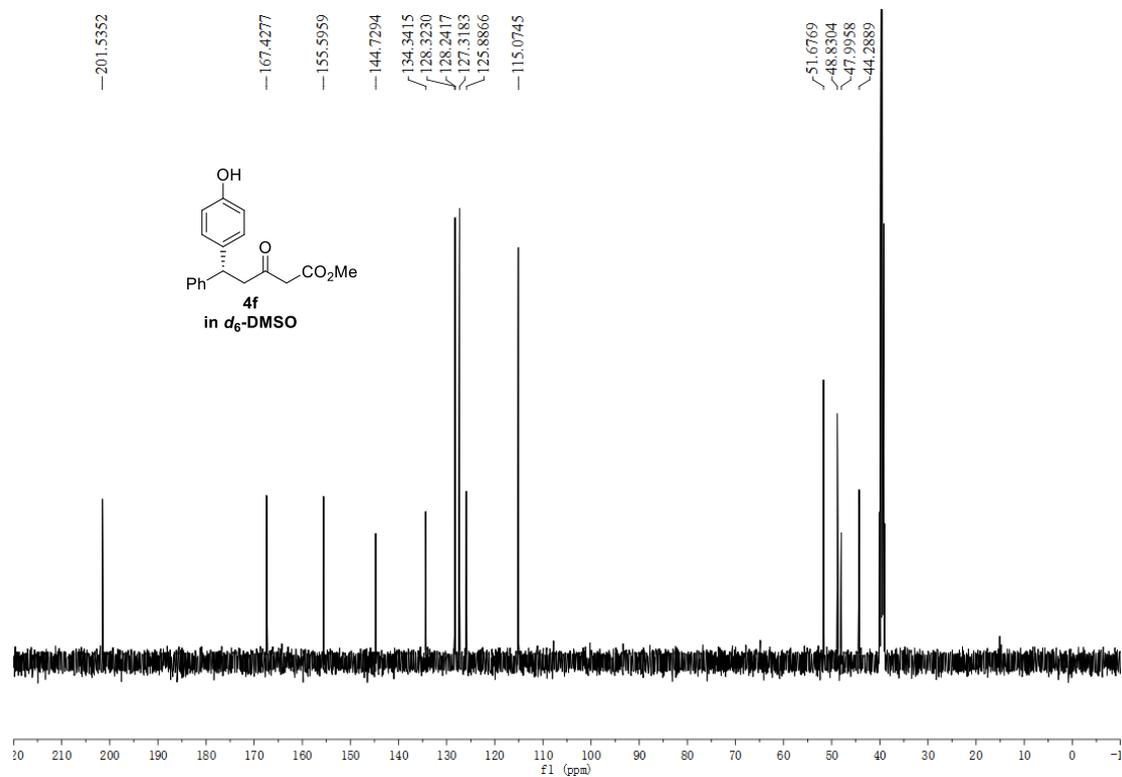
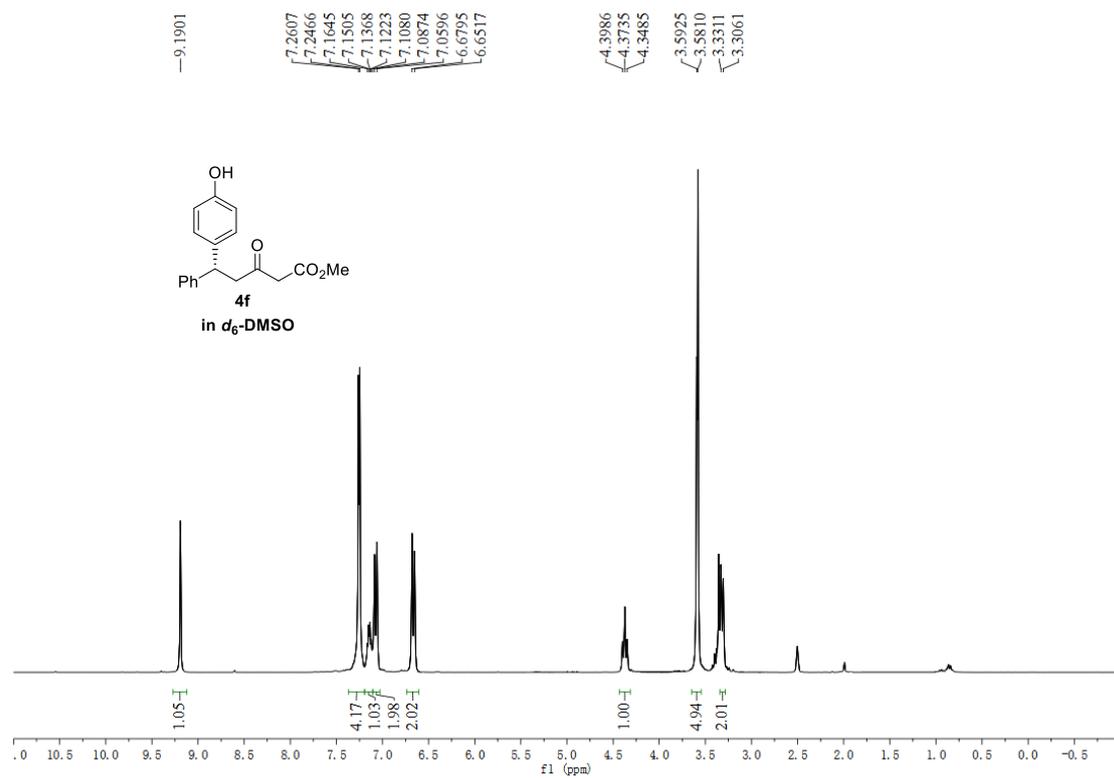


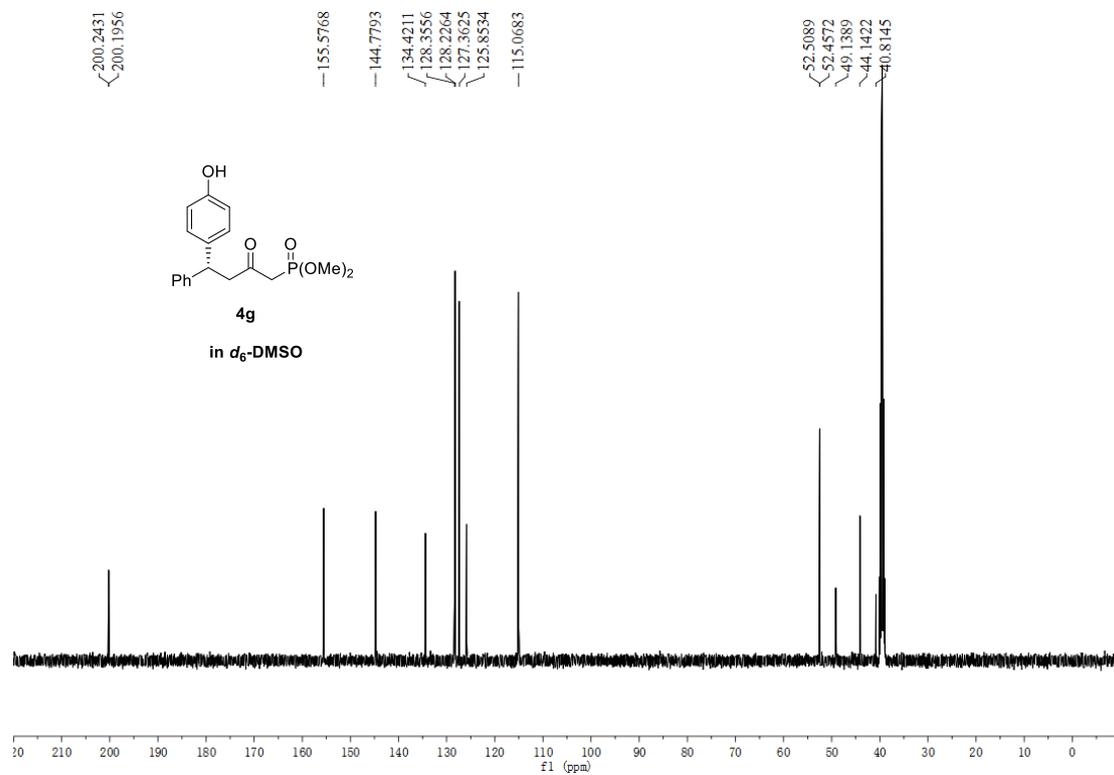
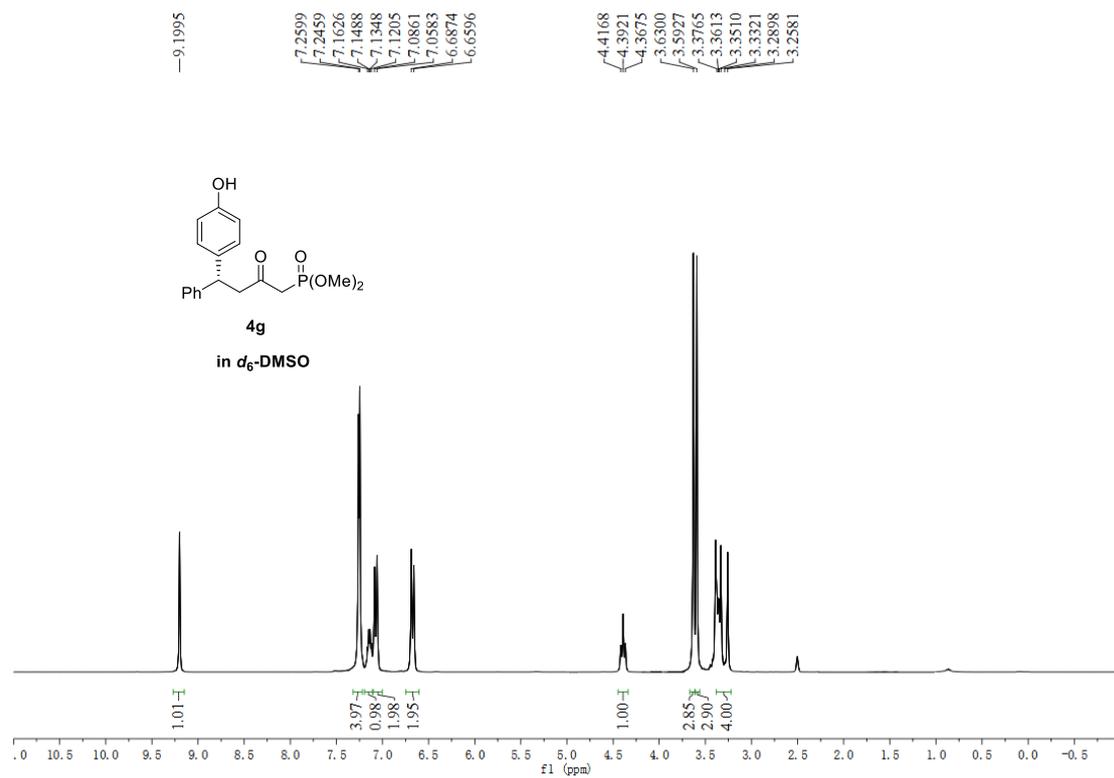


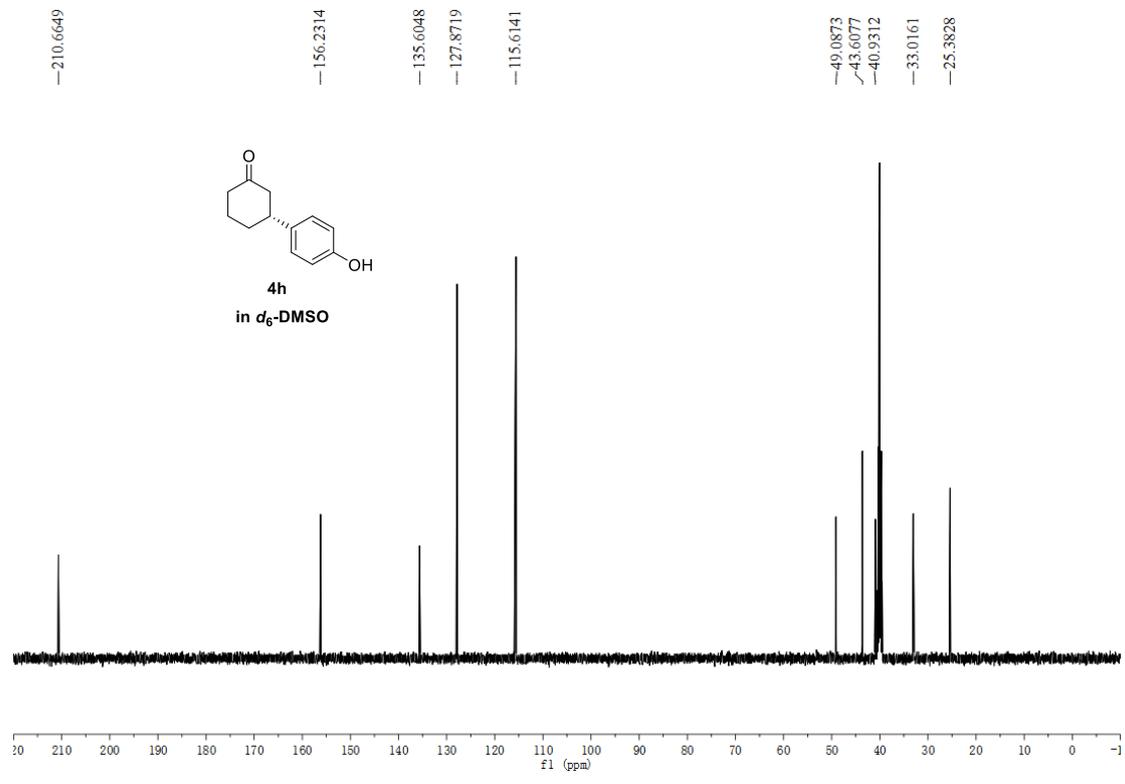
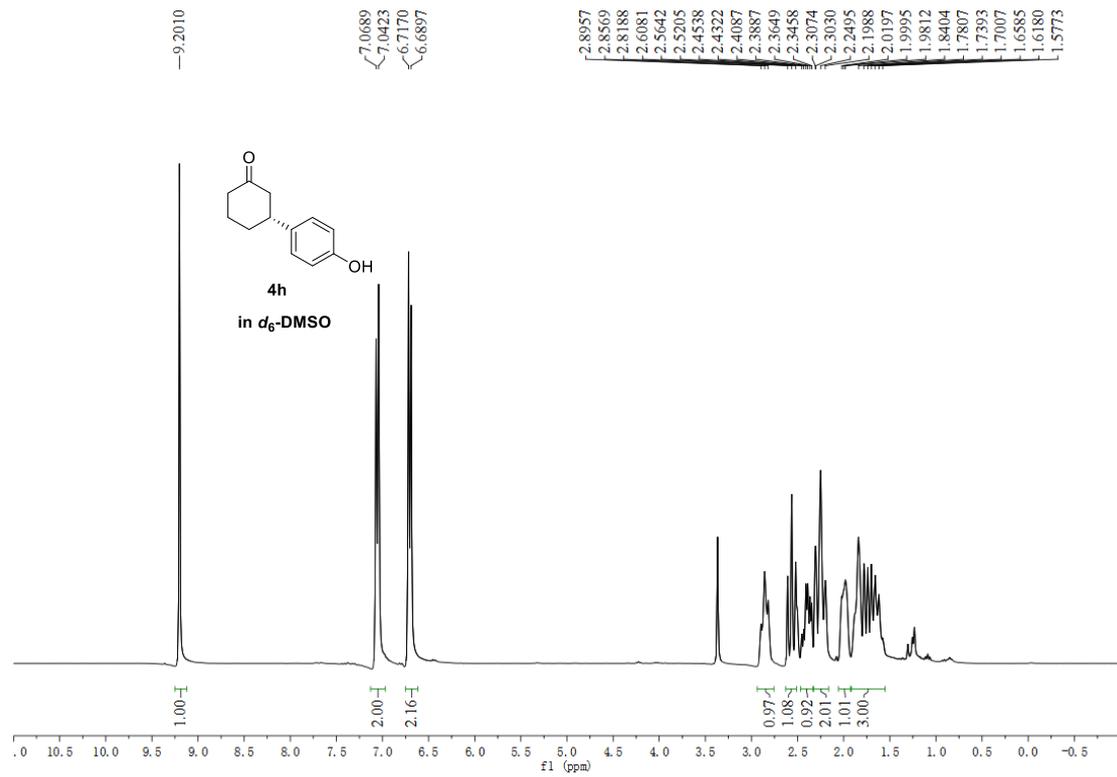


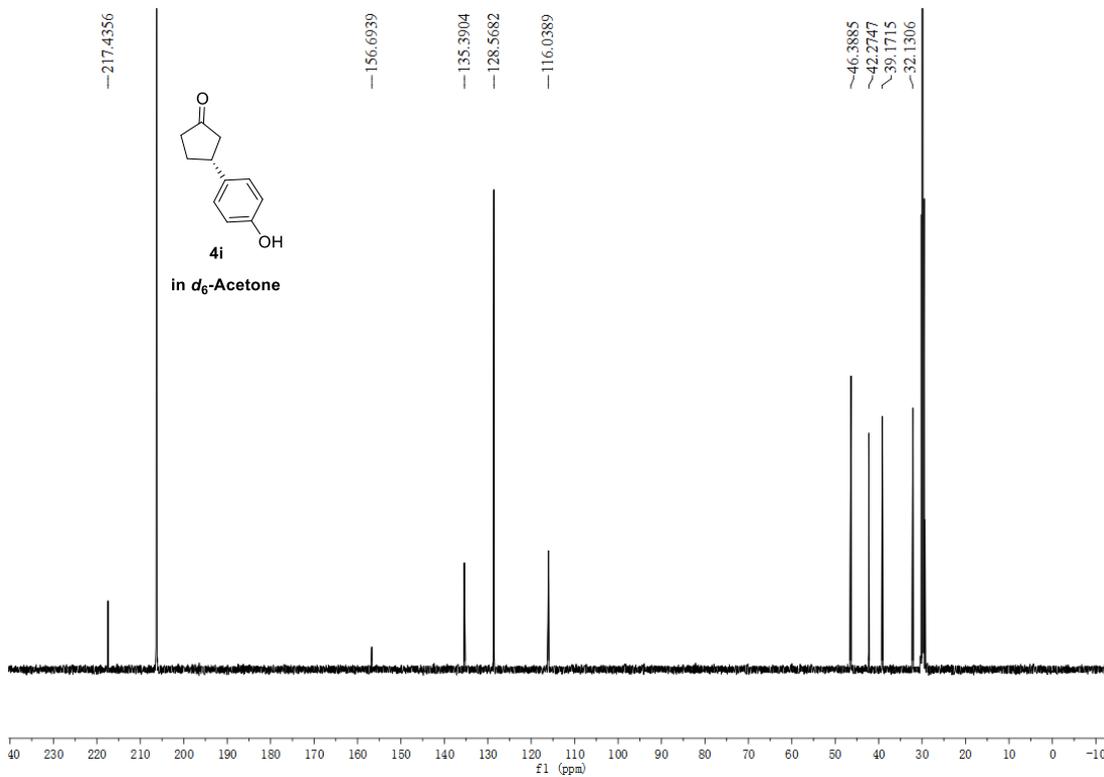
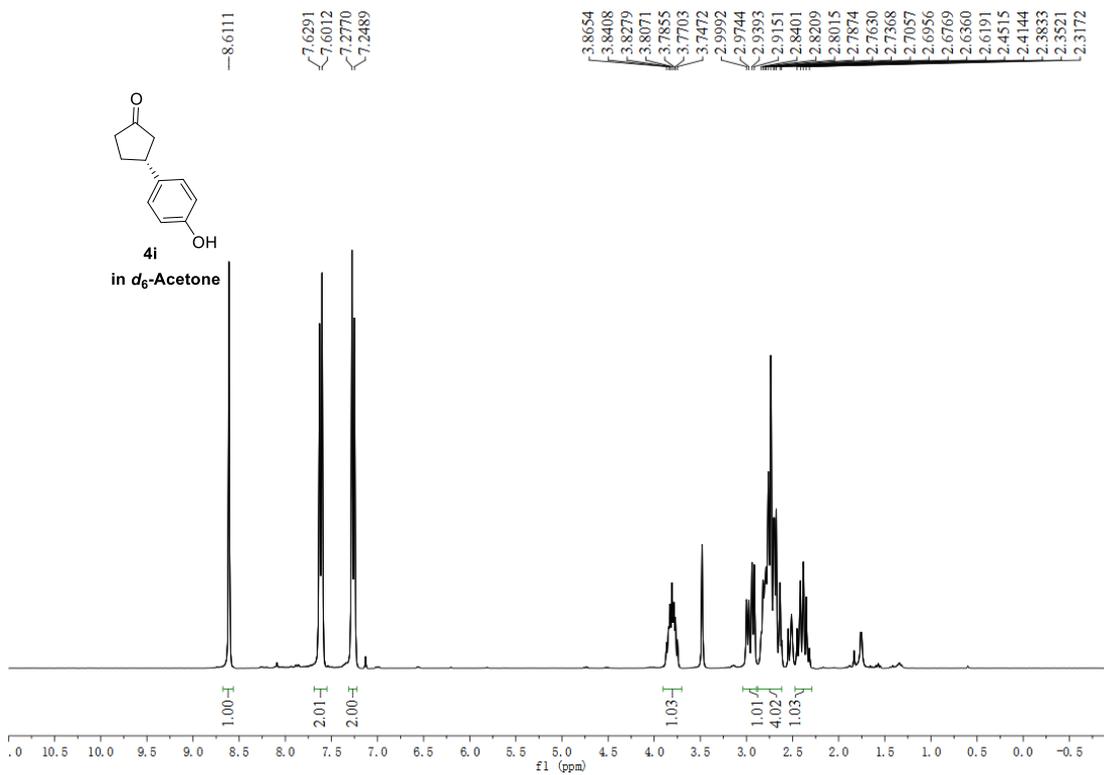


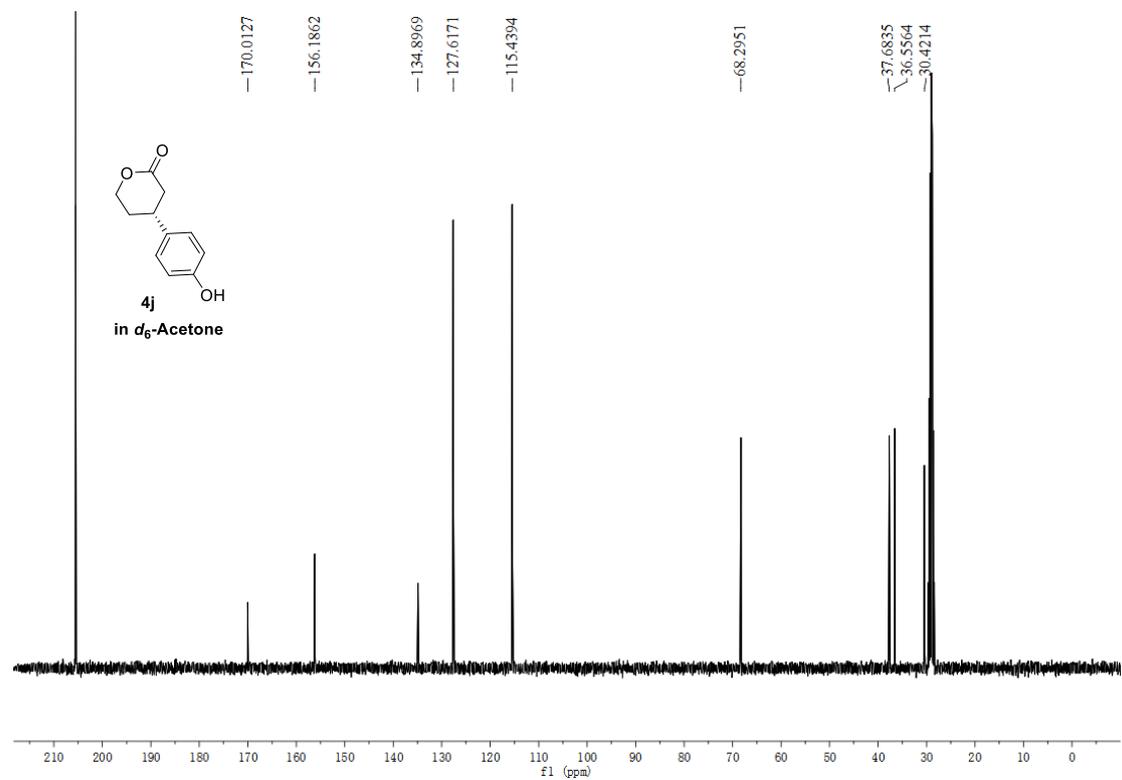
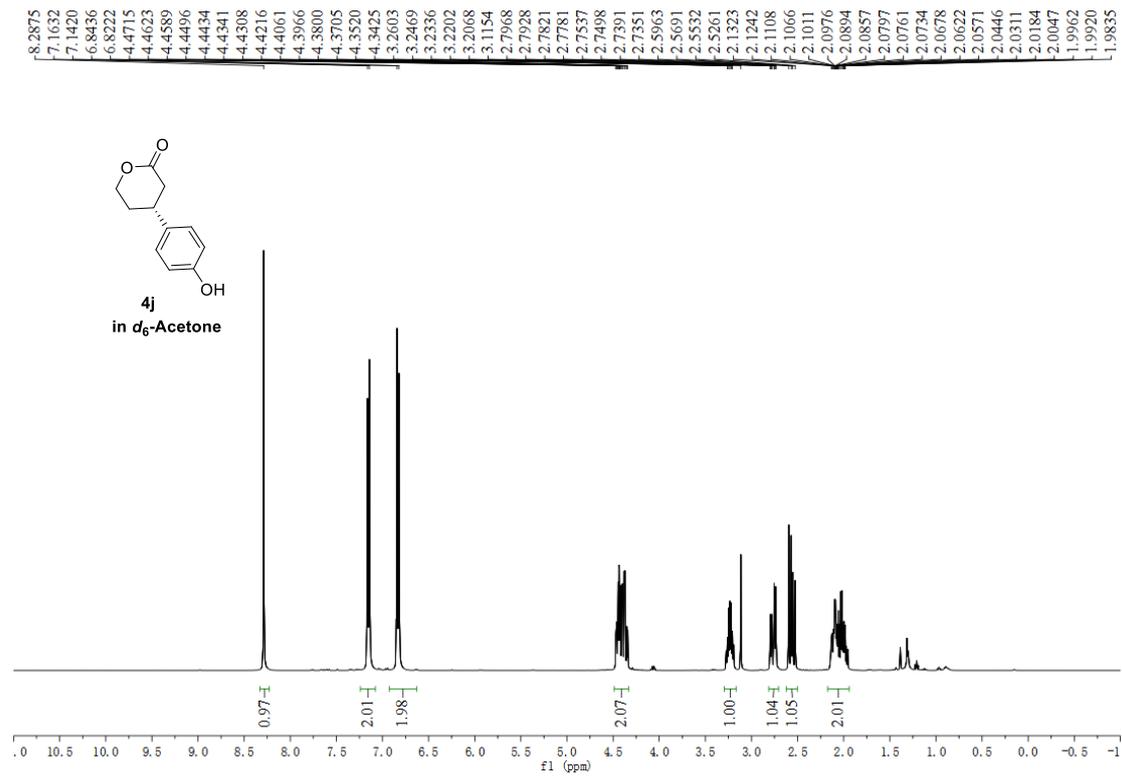


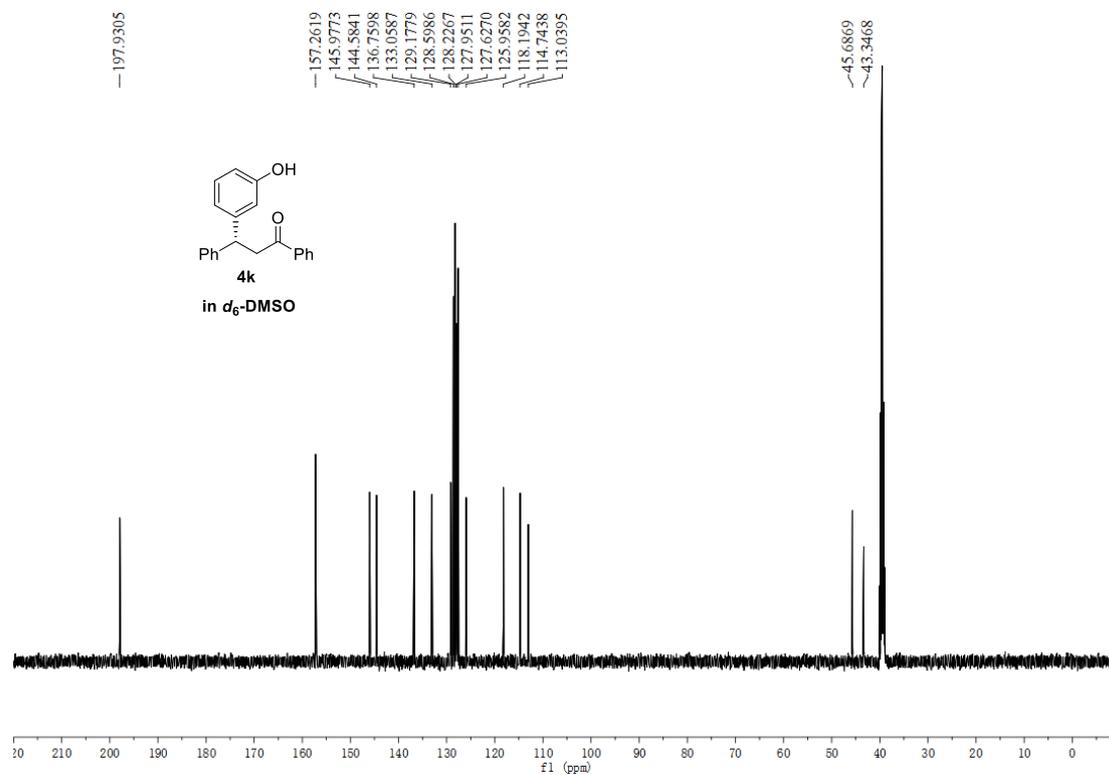
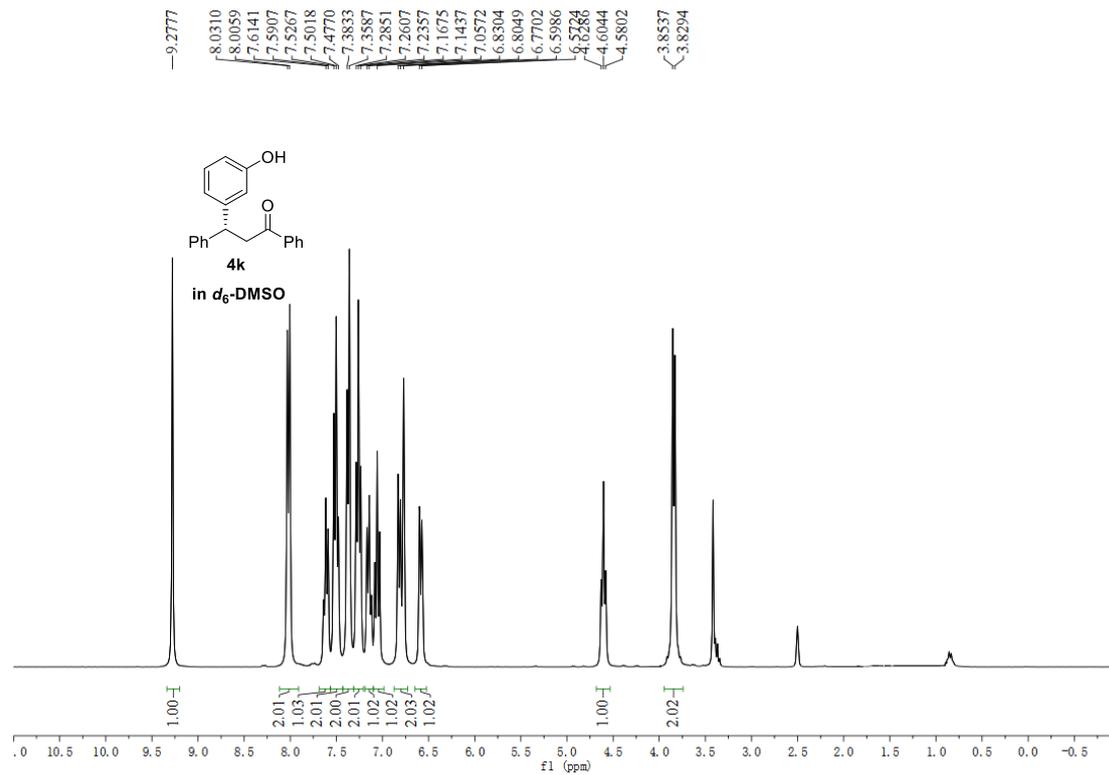


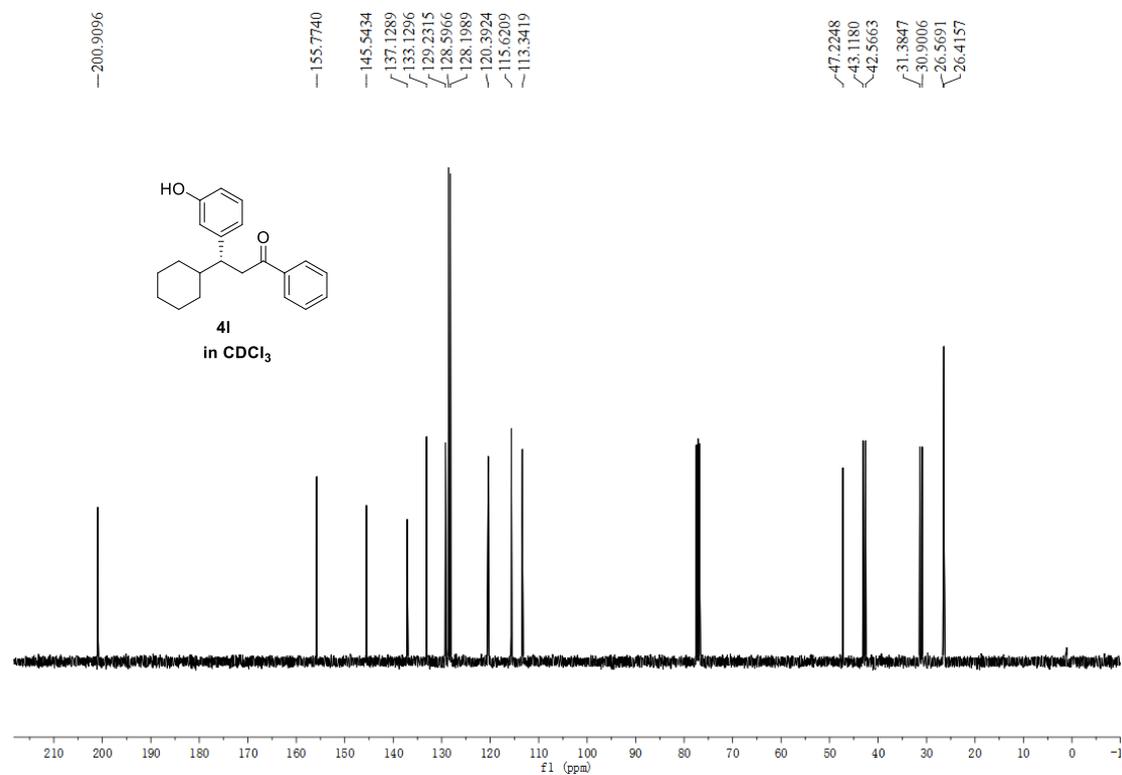
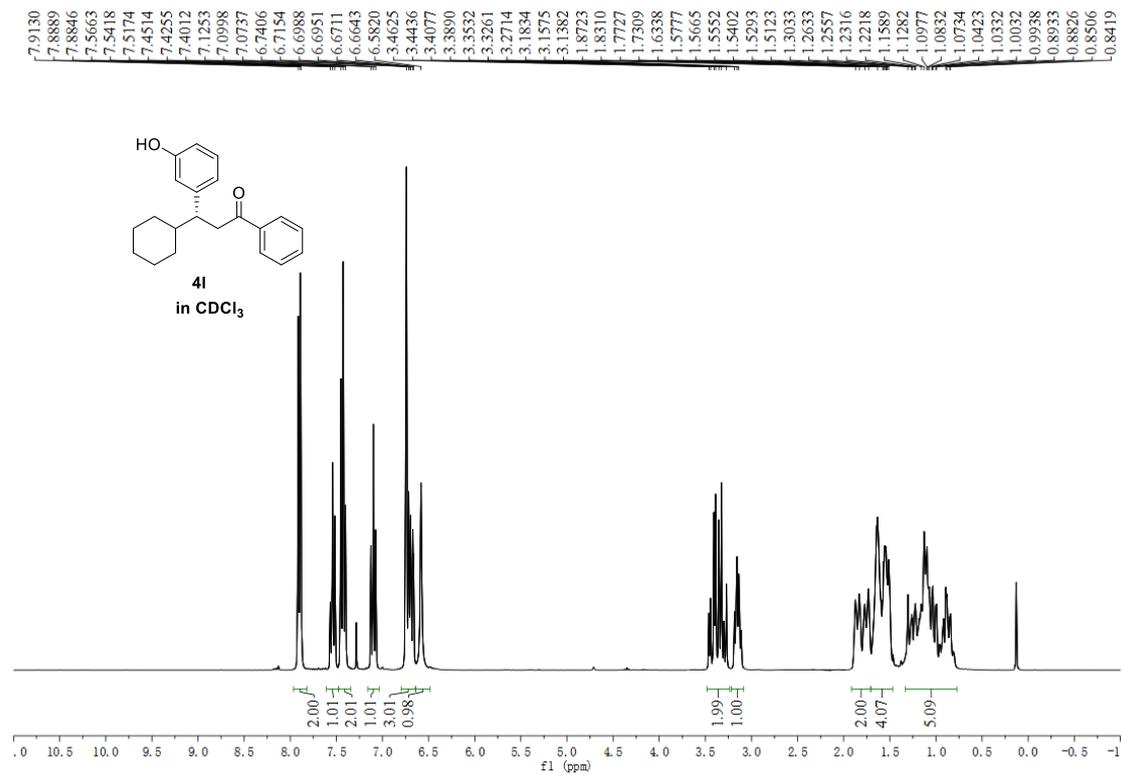


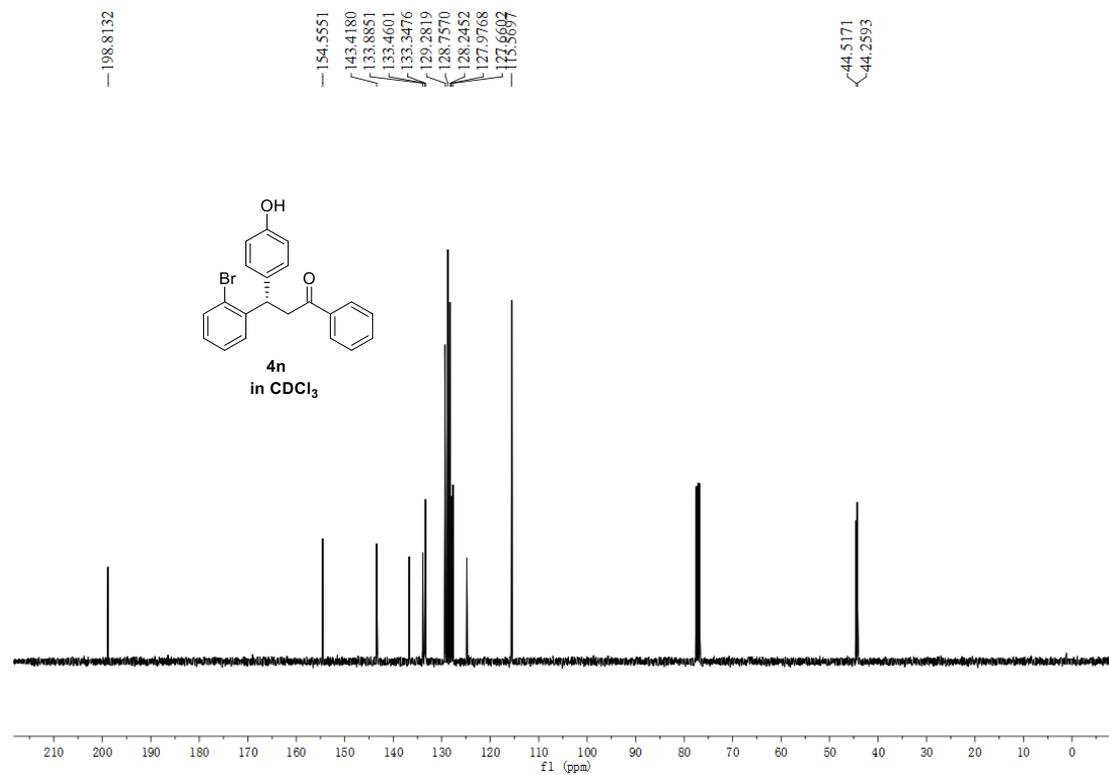
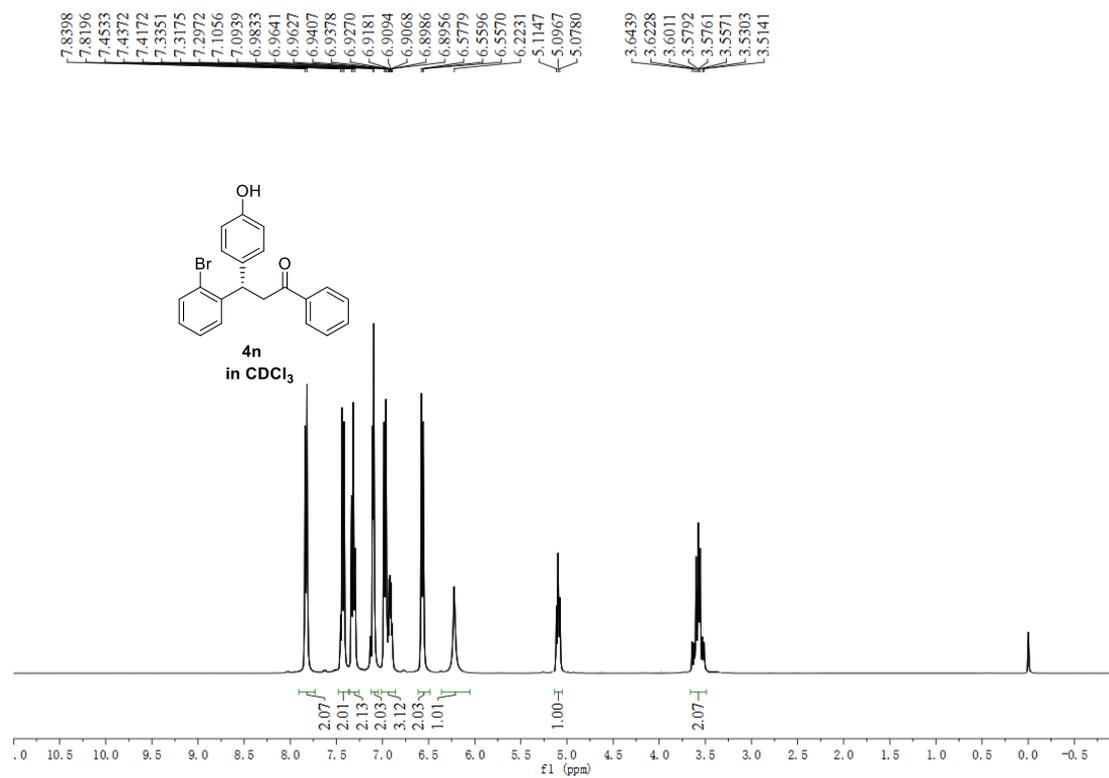


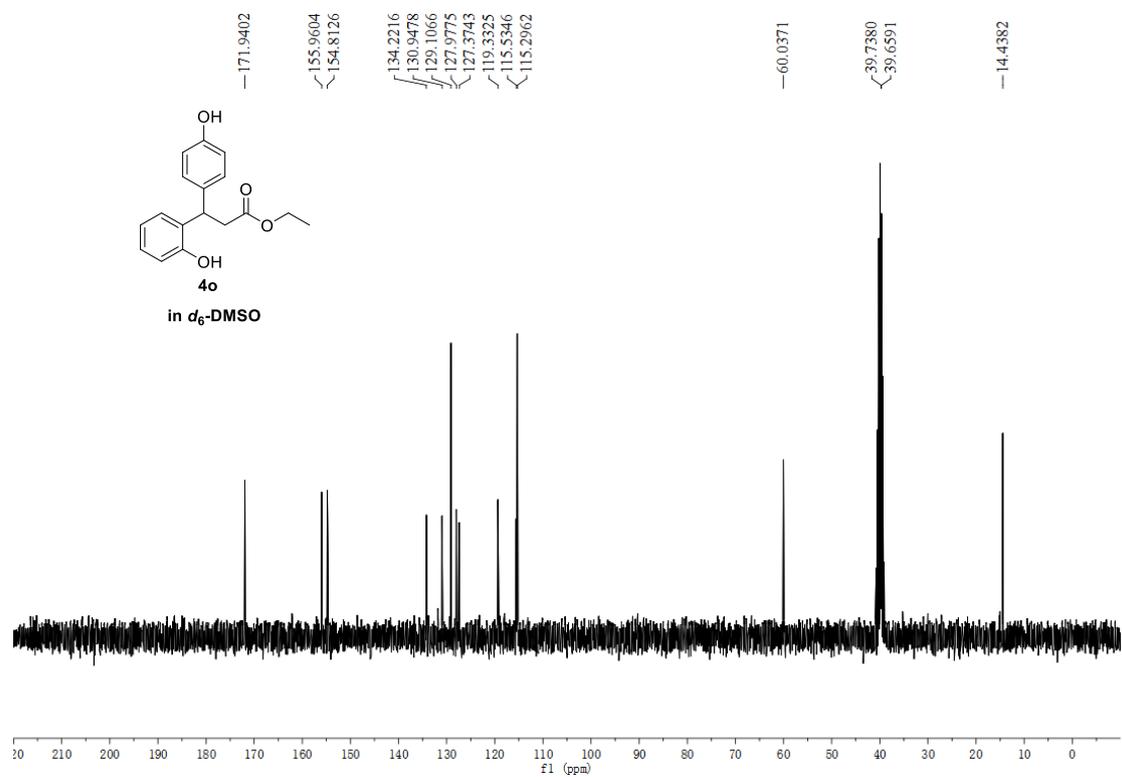
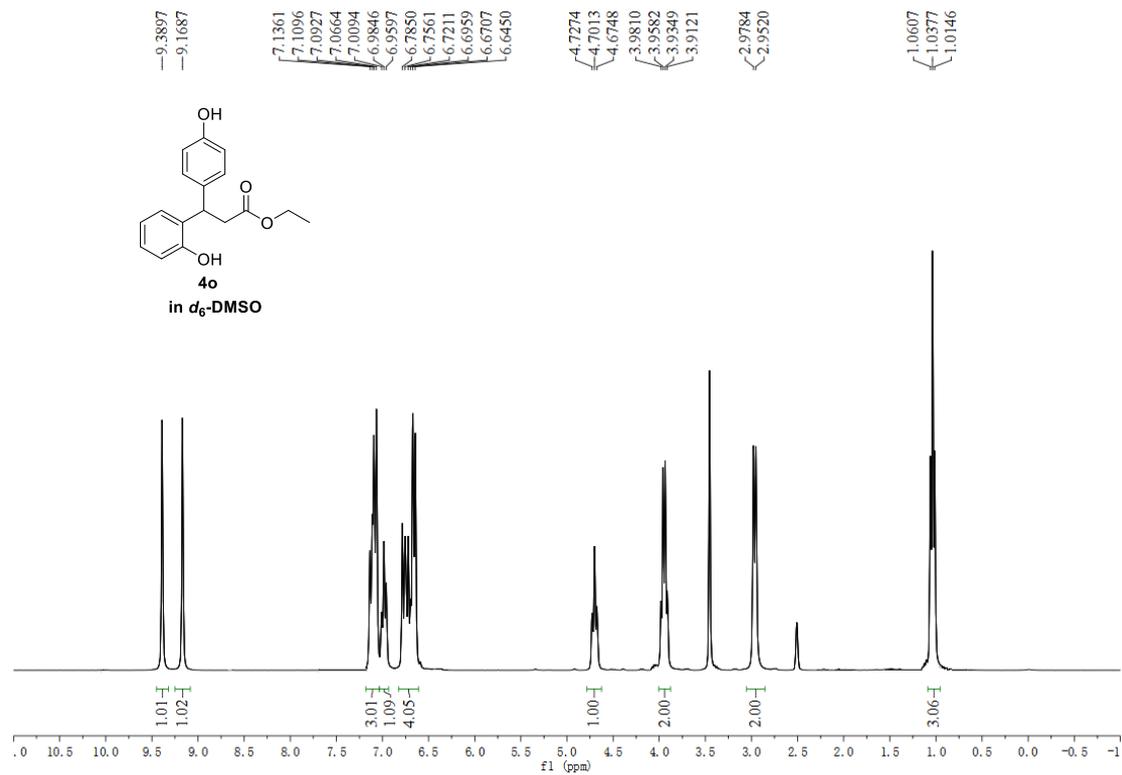


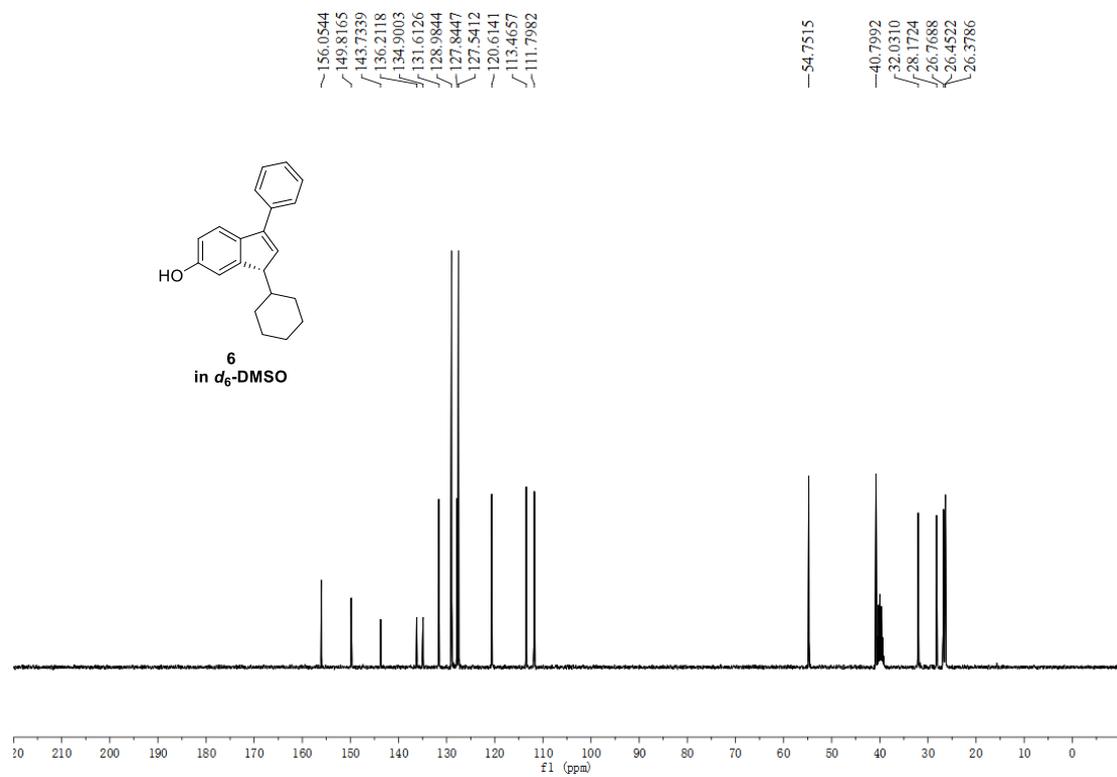
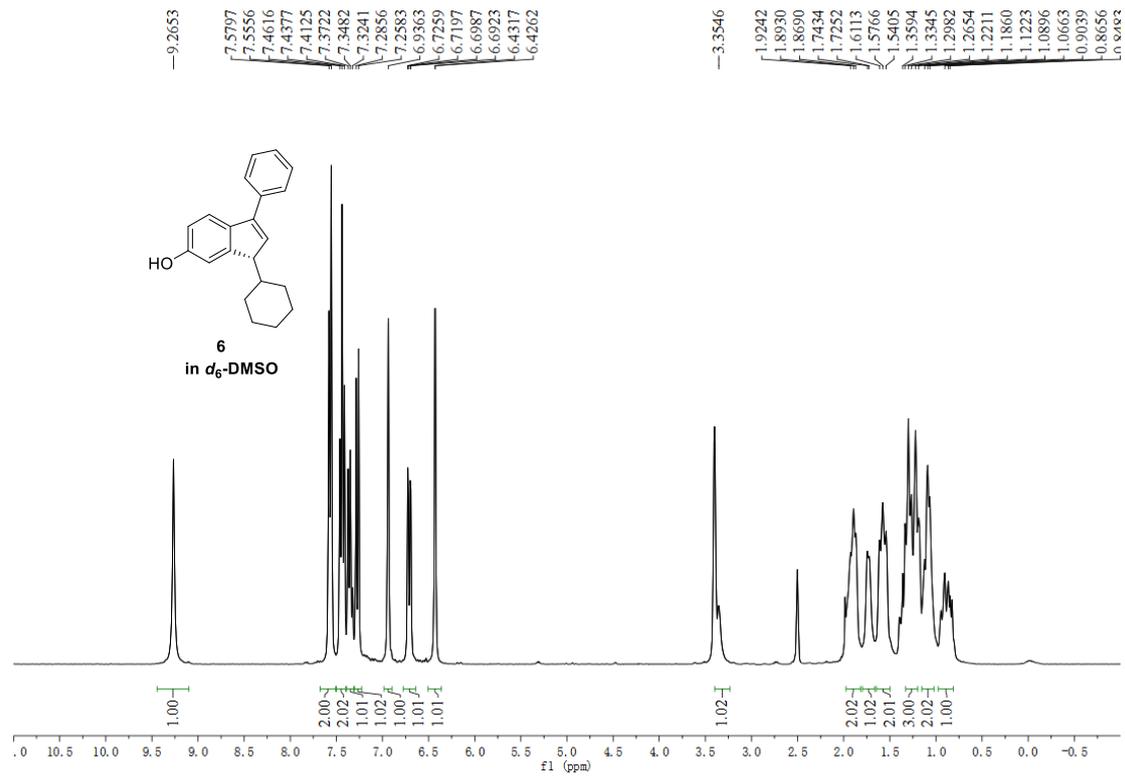


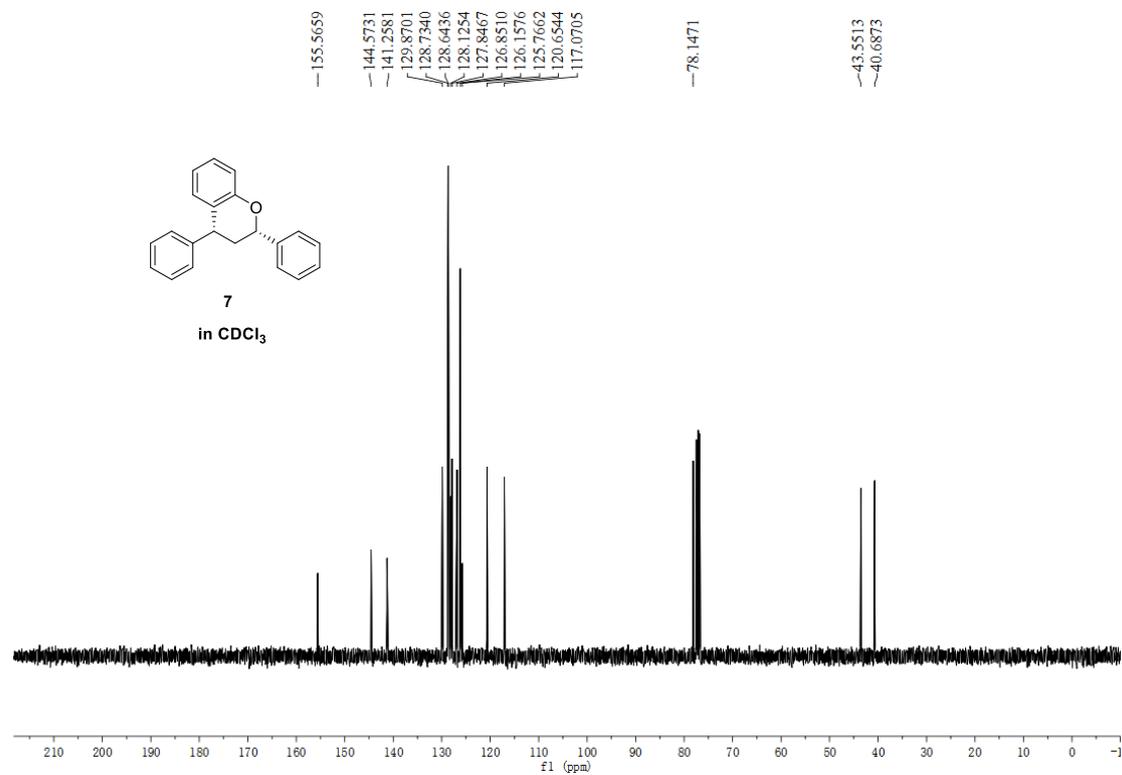
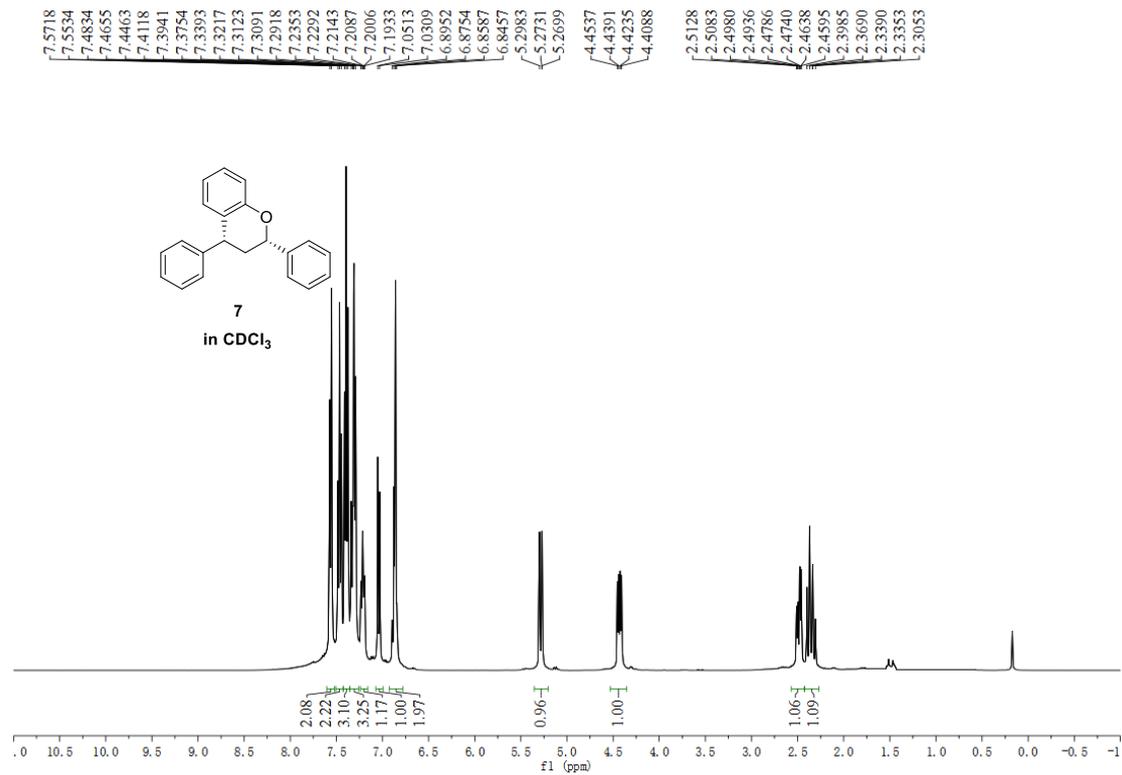


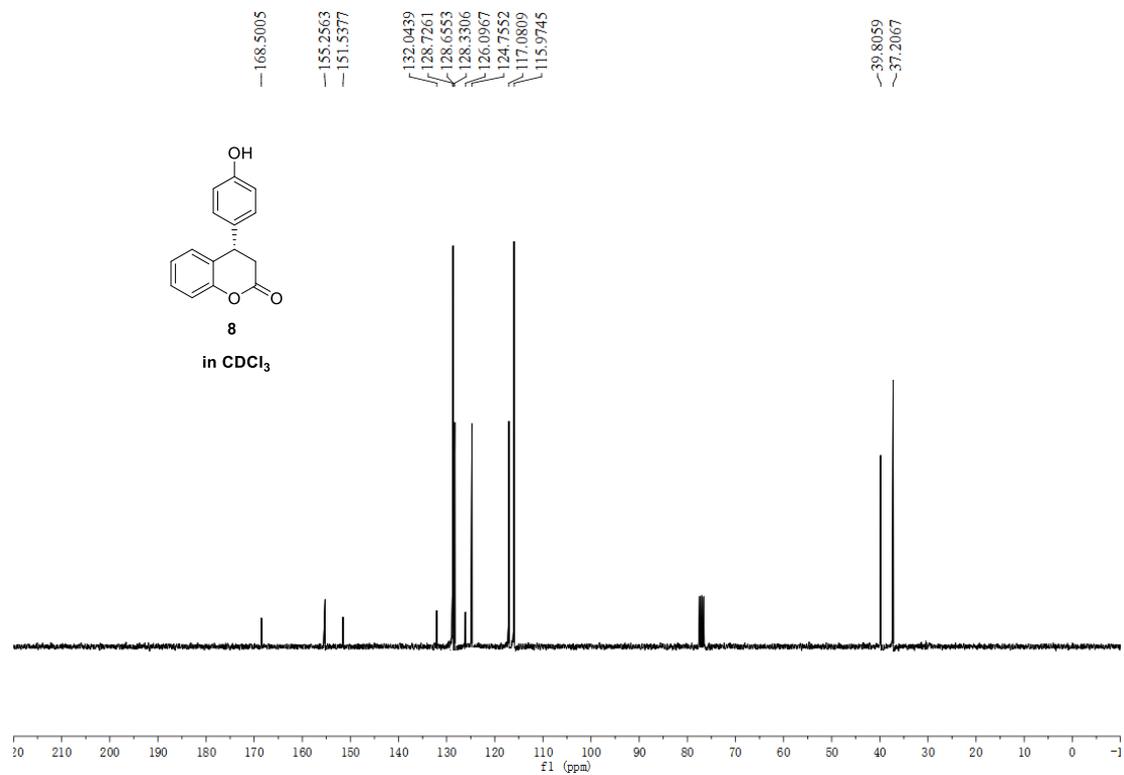
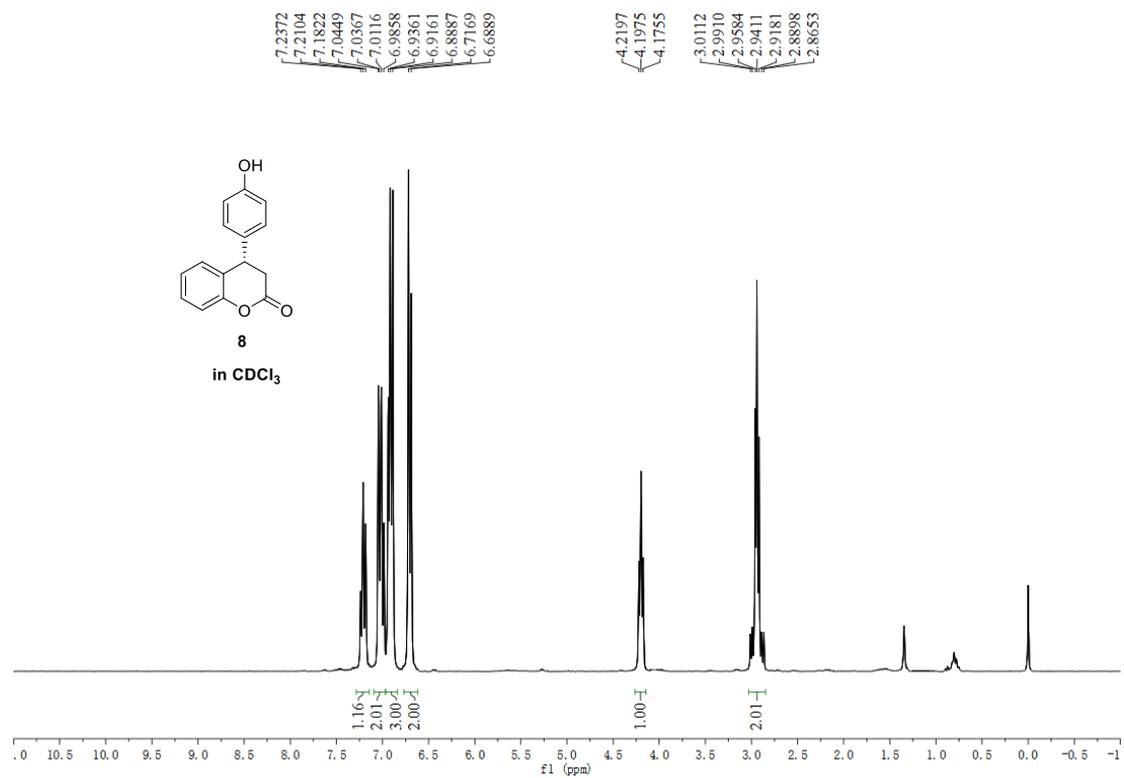


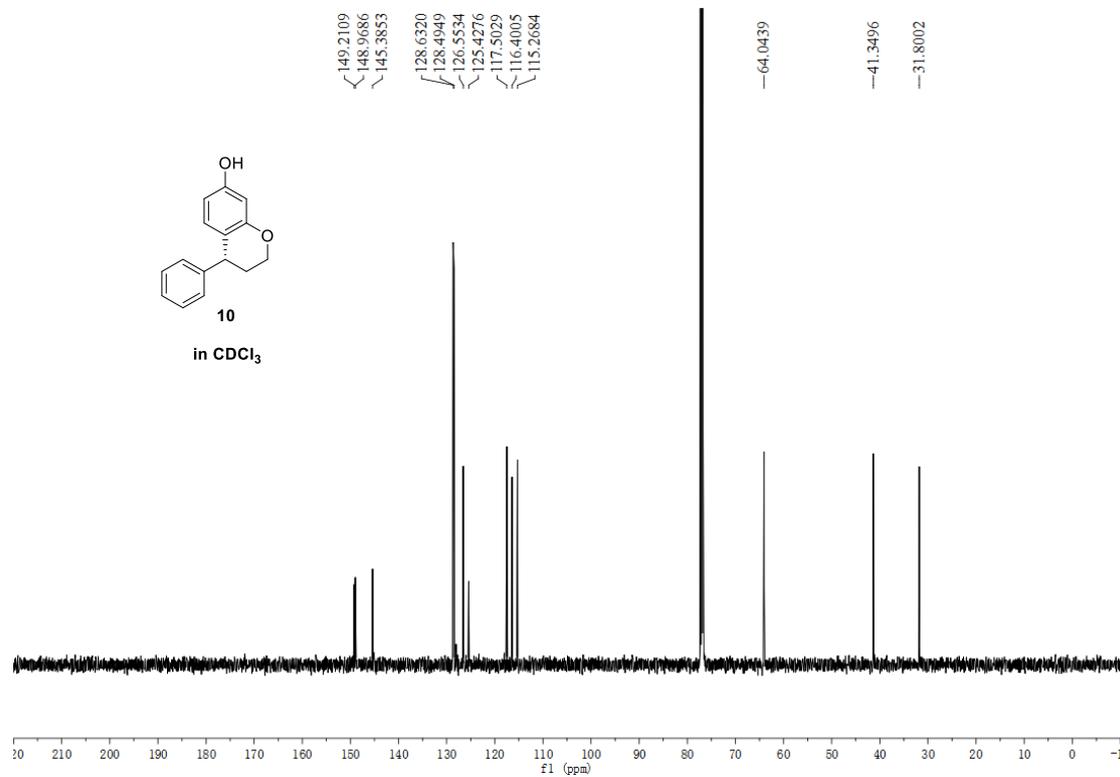
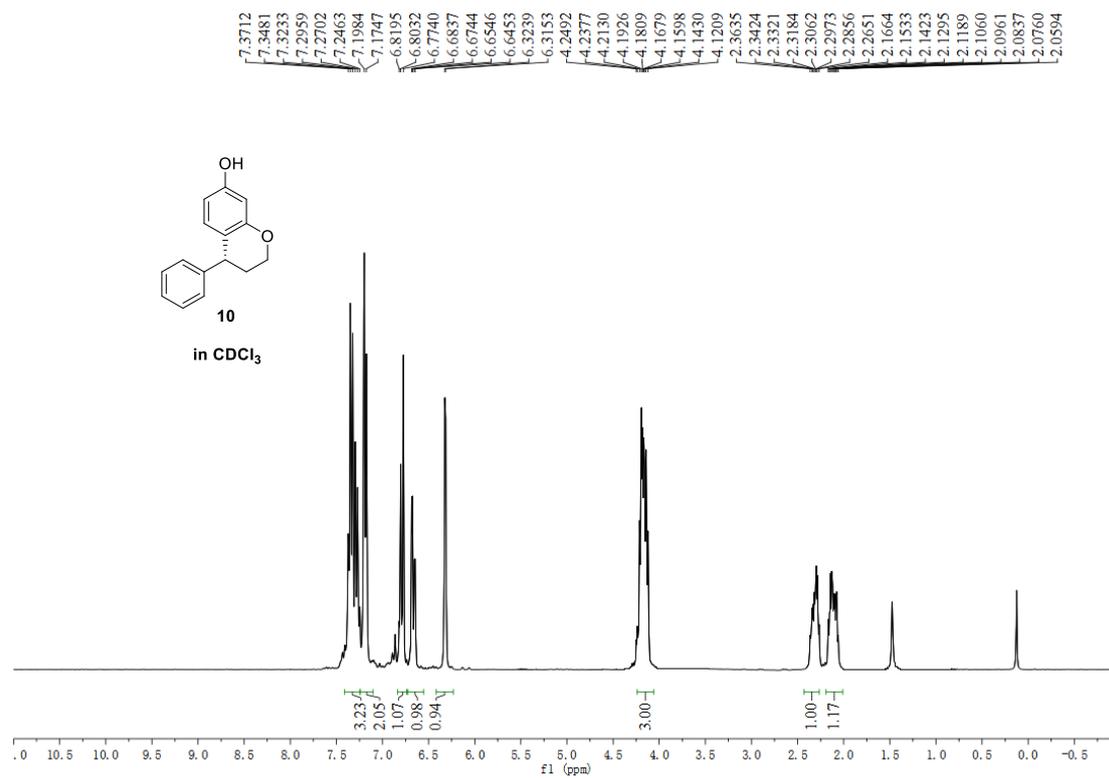


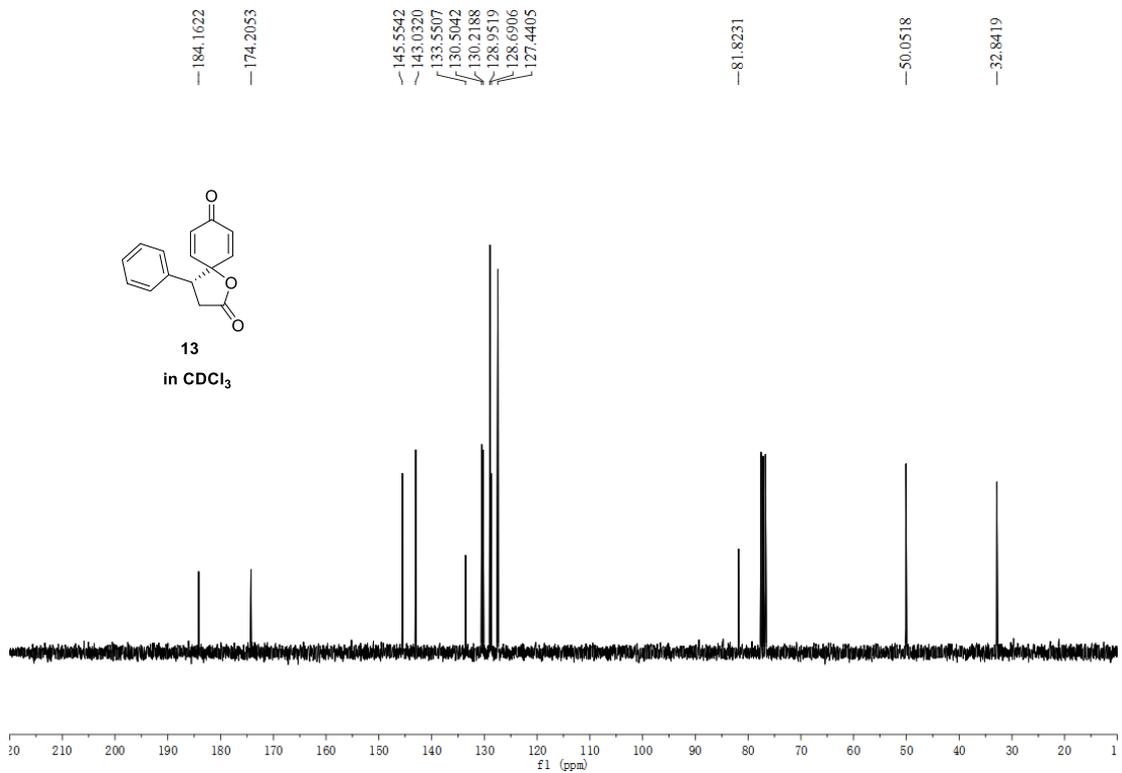
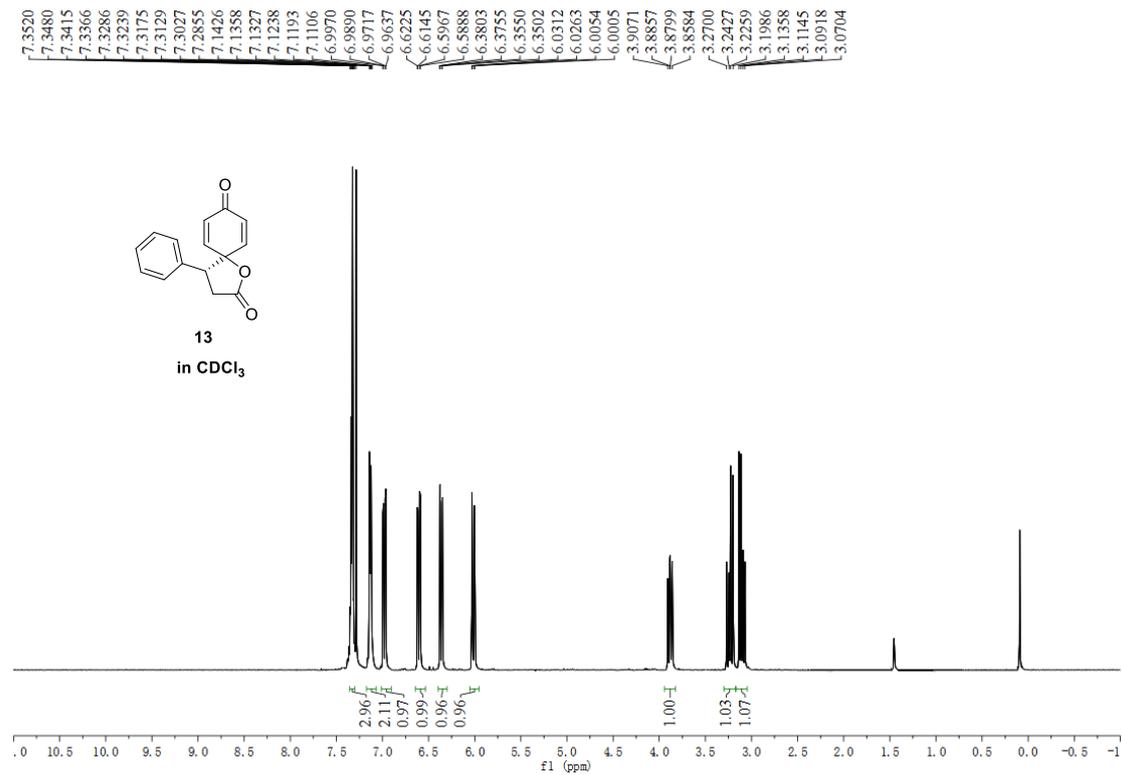


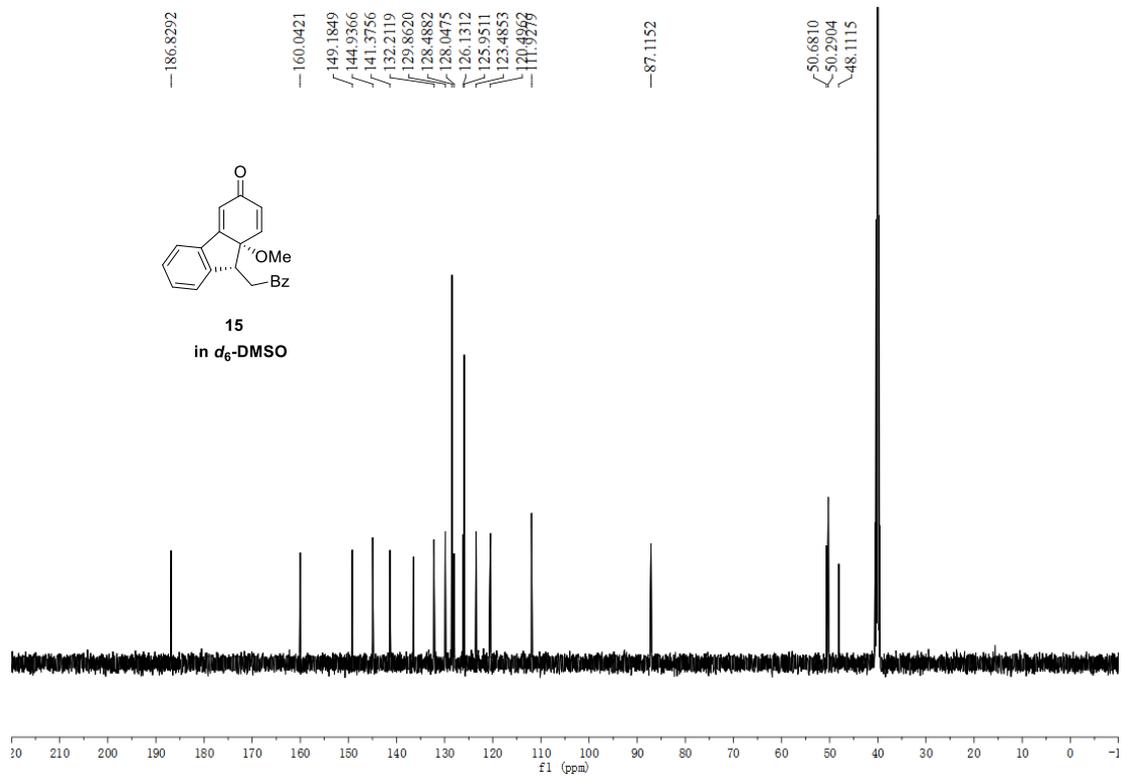
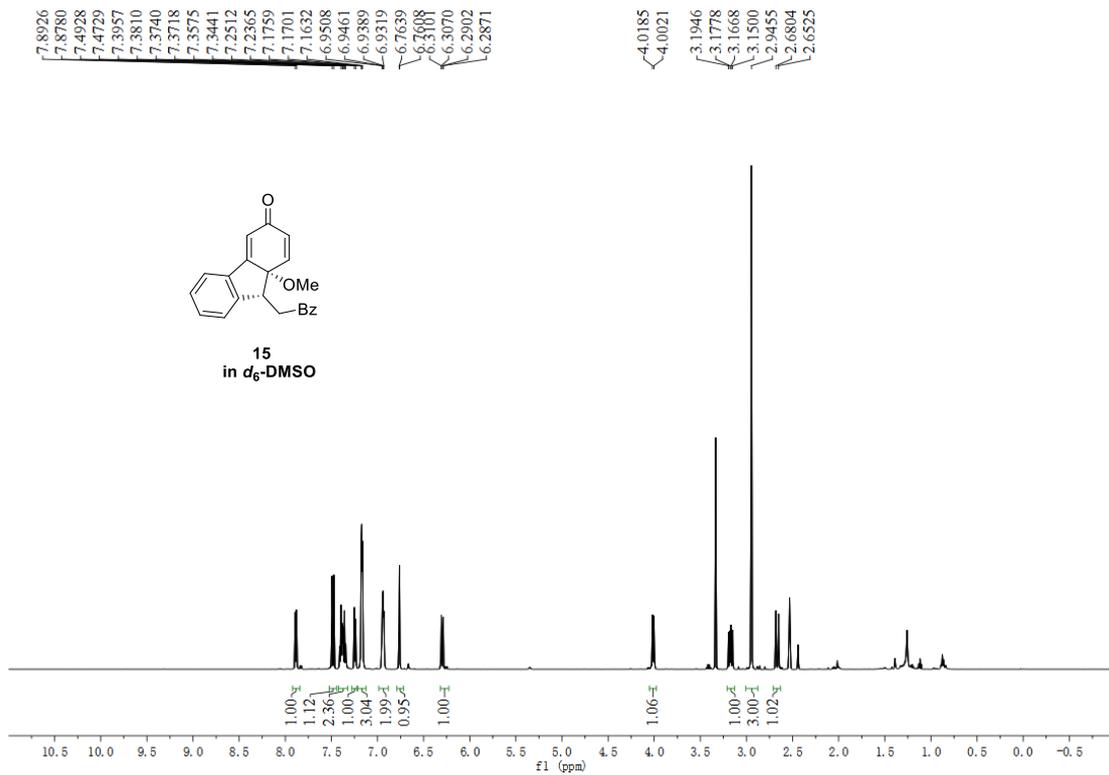


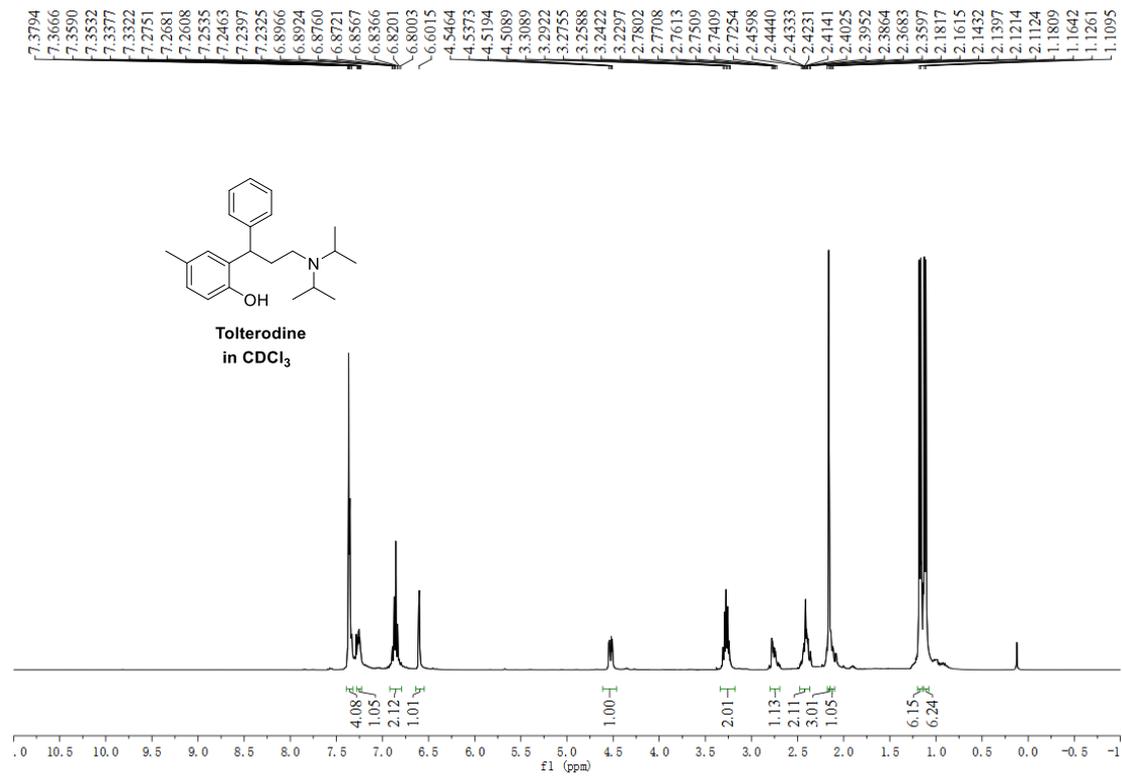




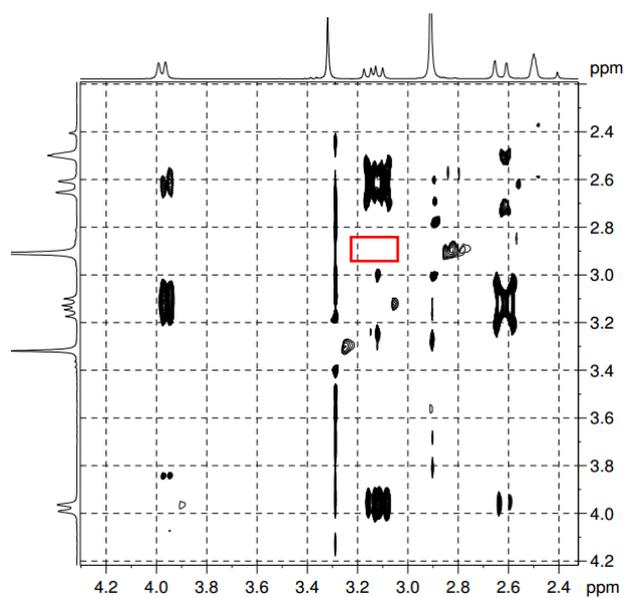
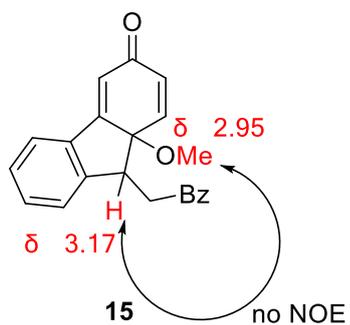
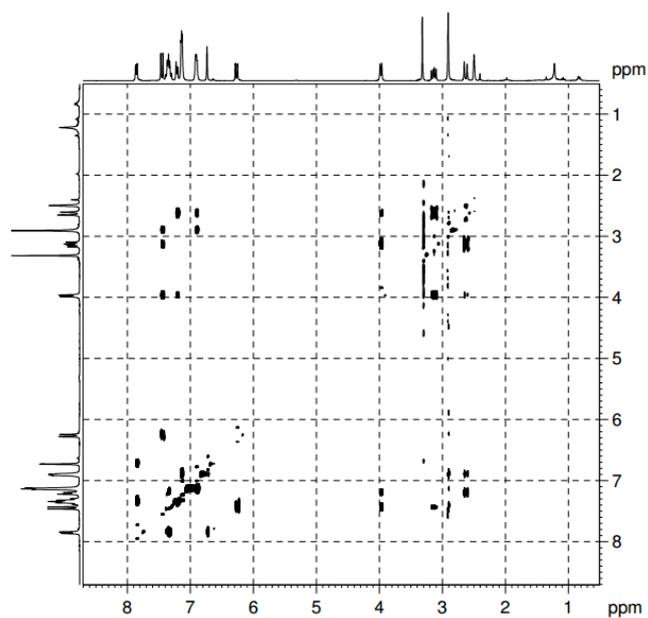


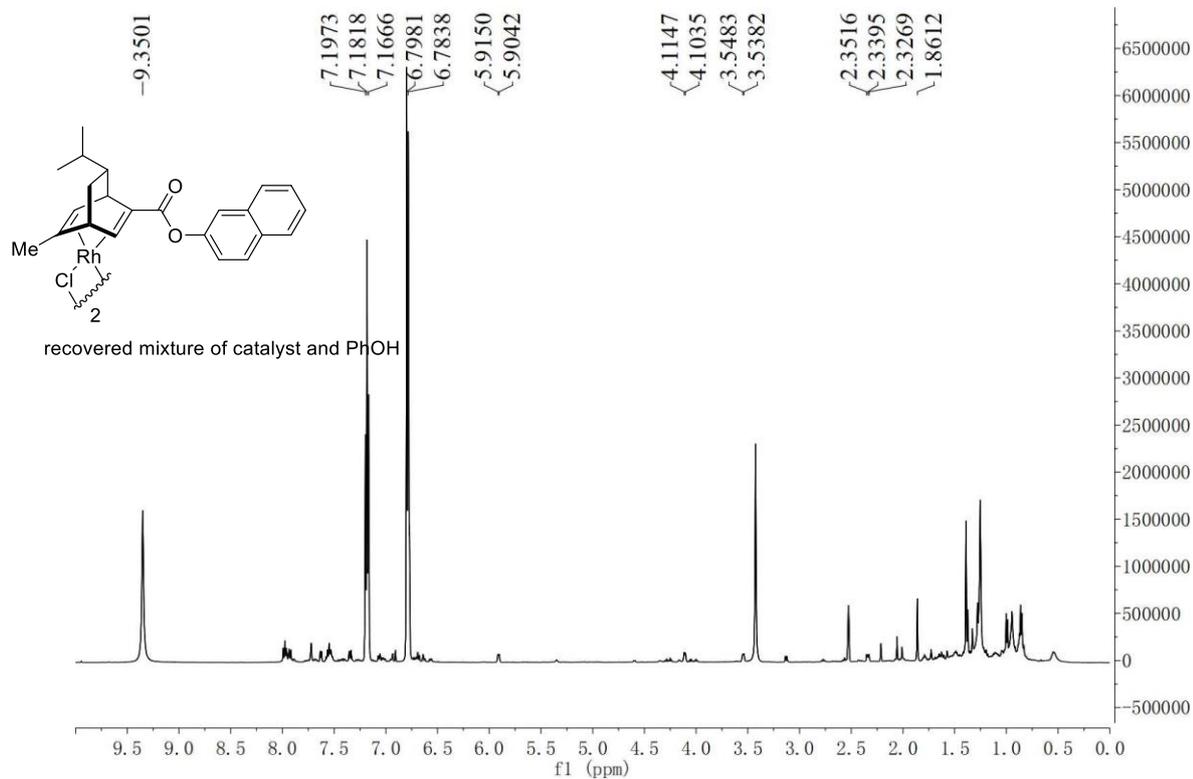
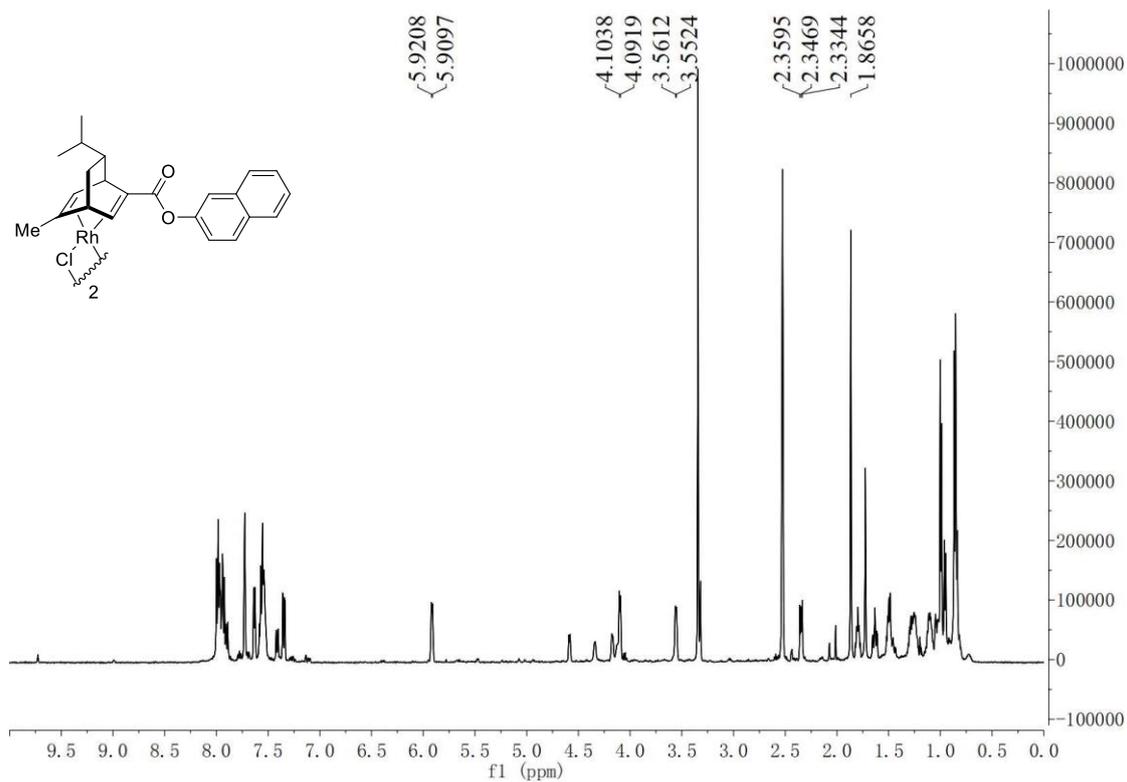




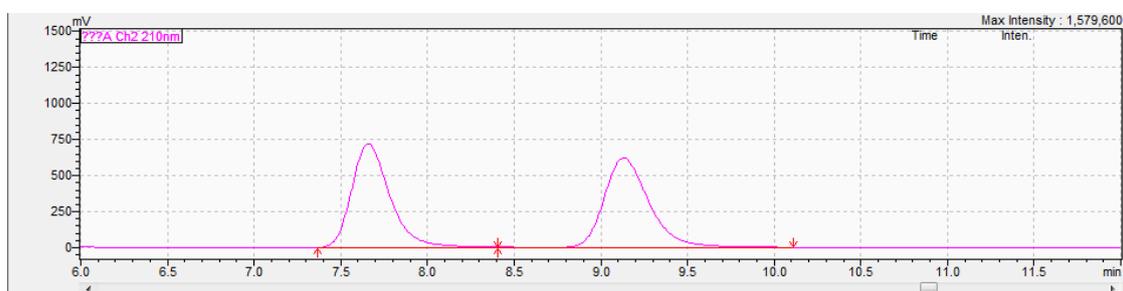
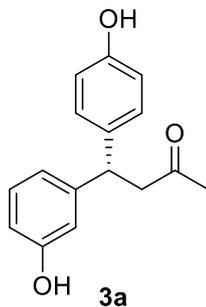


# 15 NOESY DMSO 303K AV-300





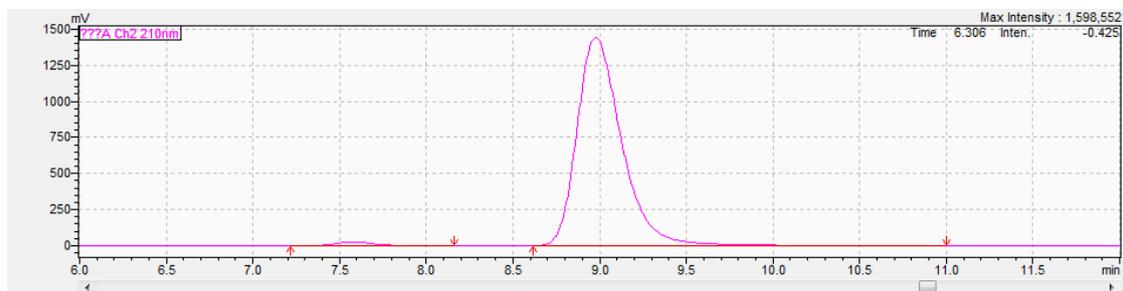
# 11.HPLC Charts



Results View - Peak Table

Peak Table Compound Group Calibration Curve

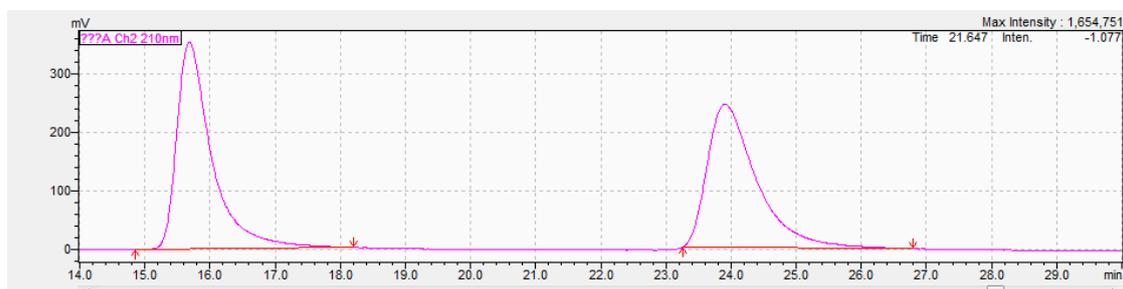
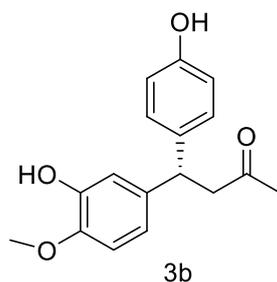
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.659	11038992	718343	49.881	49.881
2	9.132	11091715	618238	50.119	50.119
Total		22130707	1336582	100.000	100.000



Results View - Peak Table

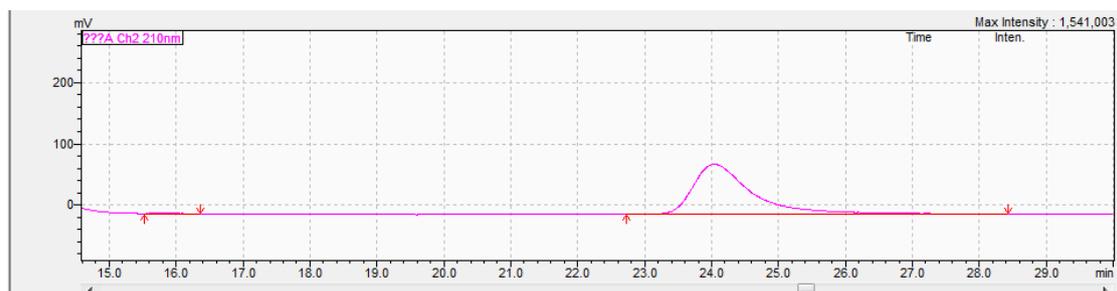
Peak Table Compound Group Calibration Curve

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.576	428398	28274	1.632	1.632
2	8.978	25825008	1444473	98.368	98.368
Total		26253406	1472747	100.000	100.000



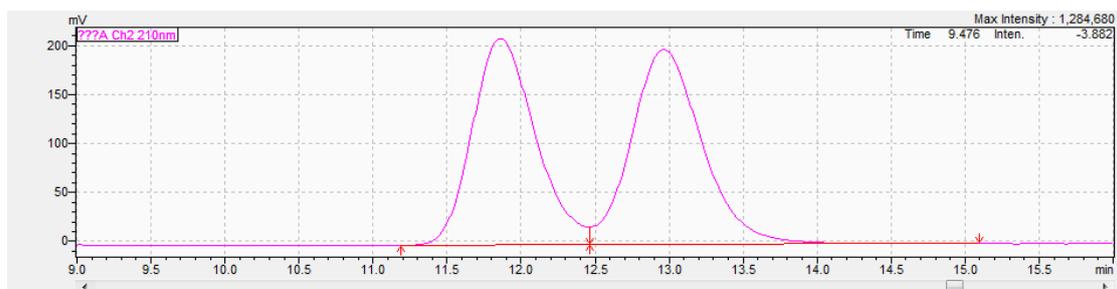
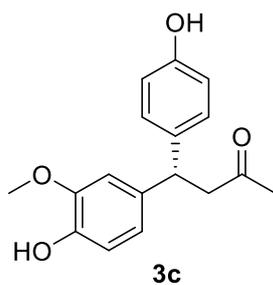
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	15.684	13173779	352997	50.718	50.718
2	23.910	12800698	244281	49.282	49.282
Total		25974477	597278	100.000	100.000



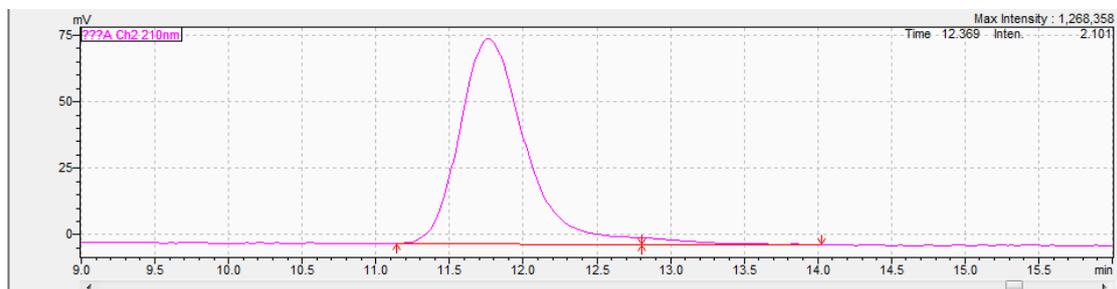
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	15.895	21362	784	0.423	0.423
2	24.039	5032119	81857	99.577	99.577
Total		5053481	82641	100.000	100.000



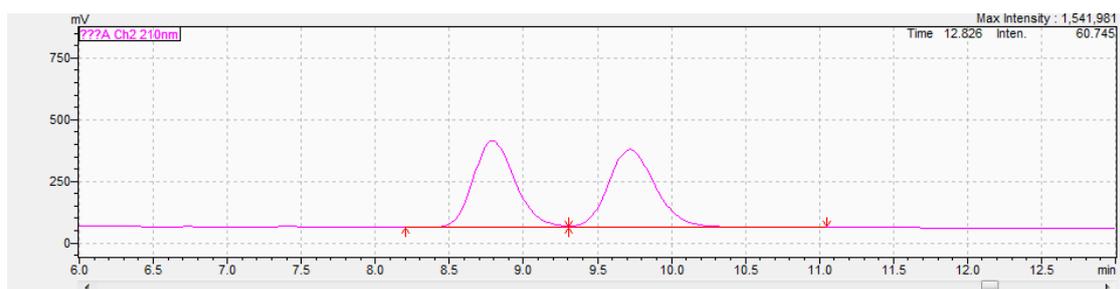
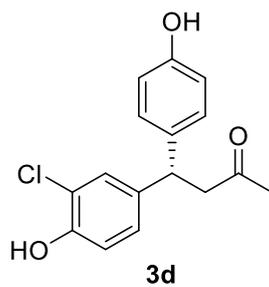
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	11.861	6283796	210933	48.694	48.694
2	12.965	6620970	199349	51.306	51.306
Total		12904766	410282	100.000	100.000



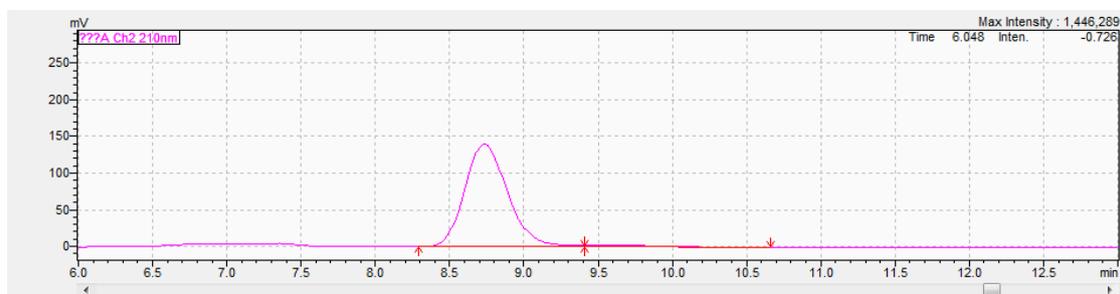
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	11.763	2382758	77140	97.759	97.759
2	12.836	54621	2507	2.241	2.241
Total		2437380	79647	100.000	100.000



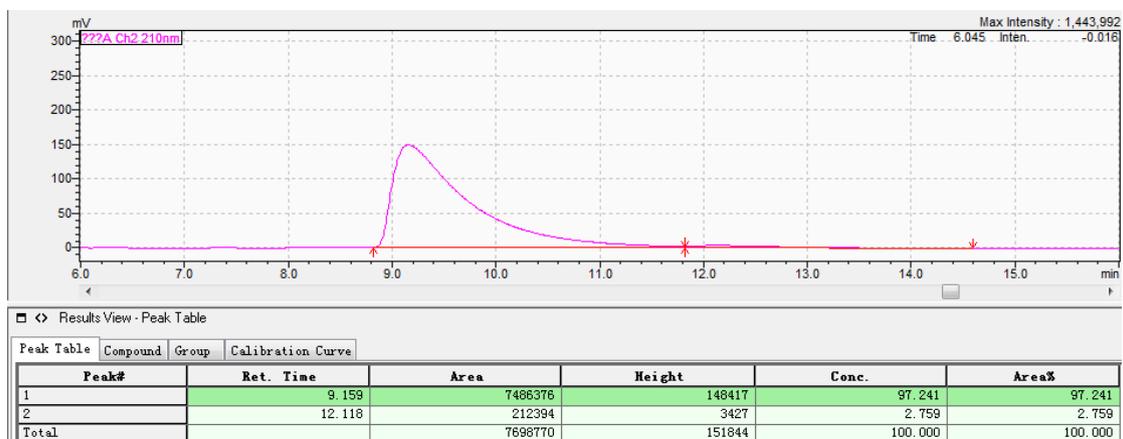
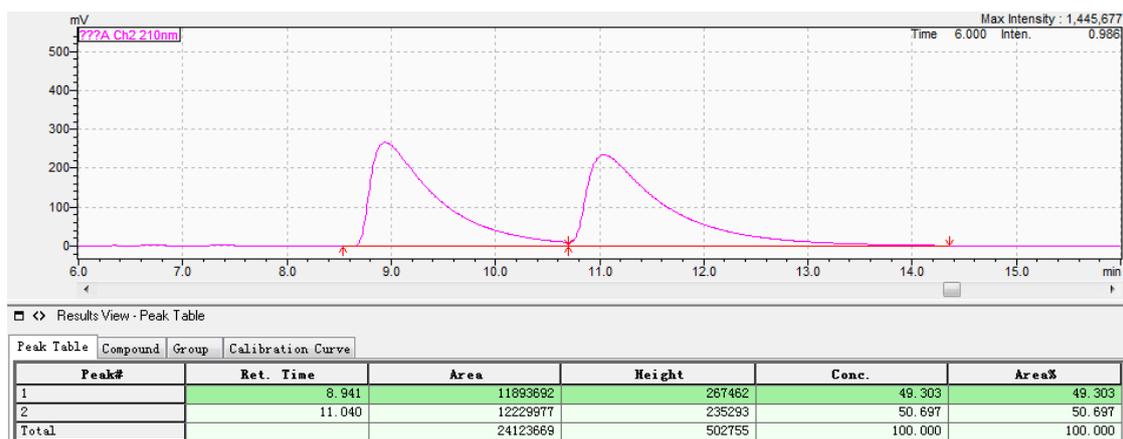
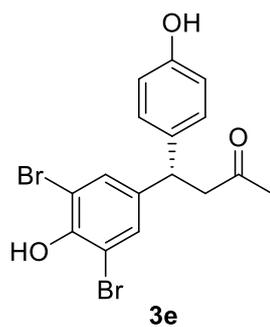
Results View - Peak Table

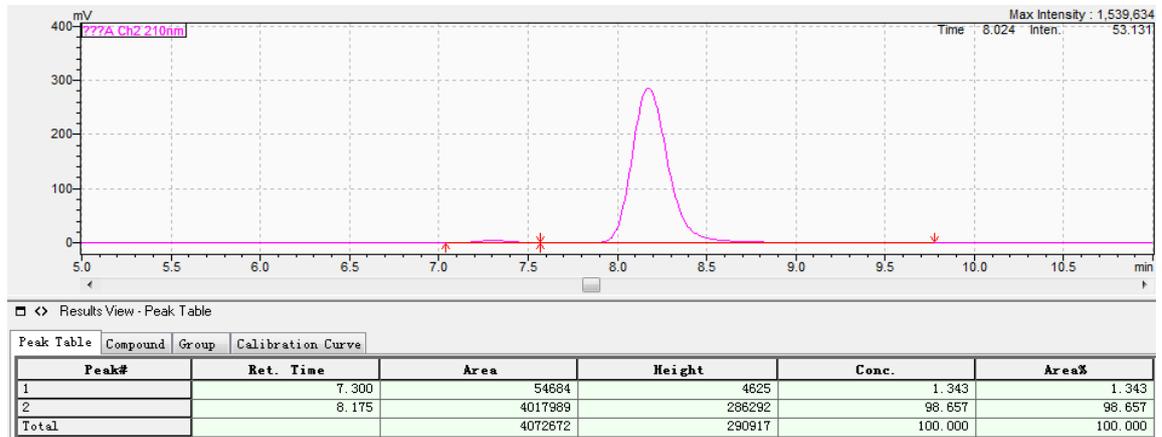
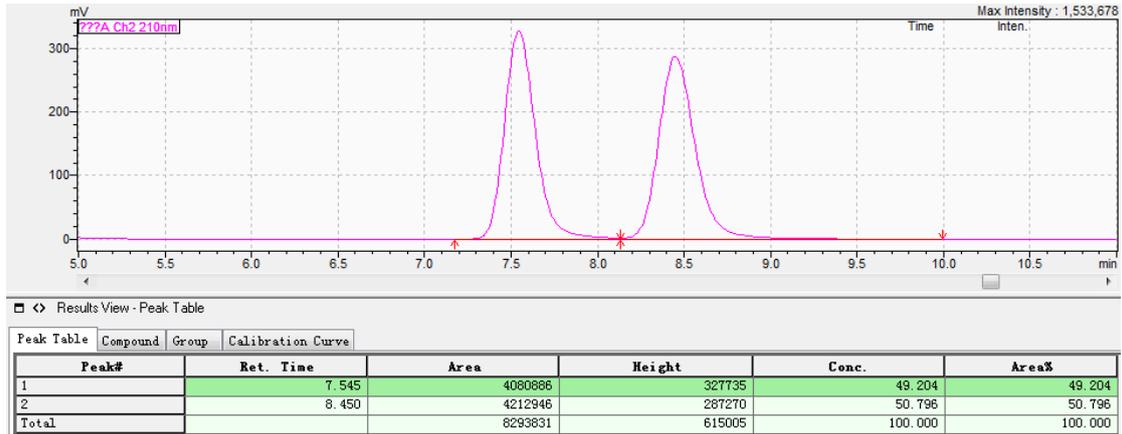
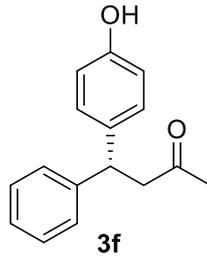
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	8.791	6927301	351849	49.575	49.575
2	9.720	7046148	316632	50.425	50.425
Total		13973449	668481	100.000	100.000

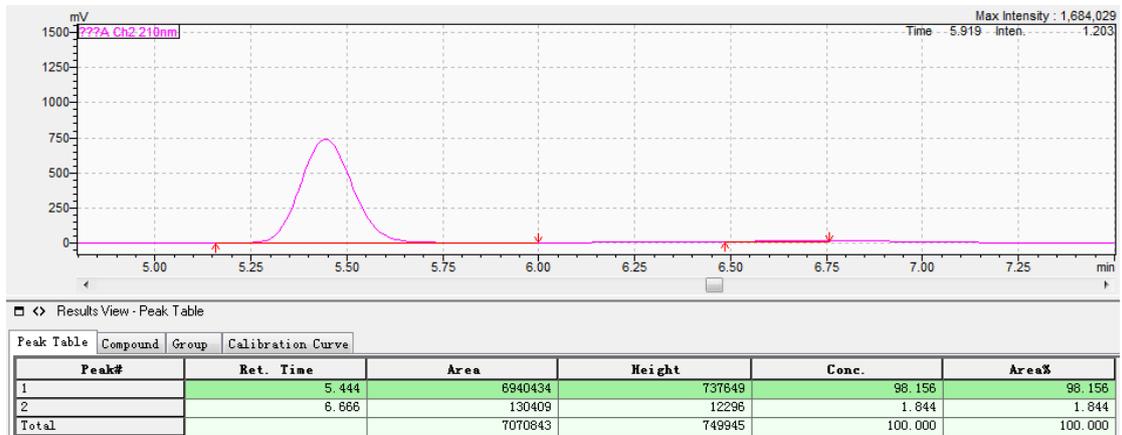
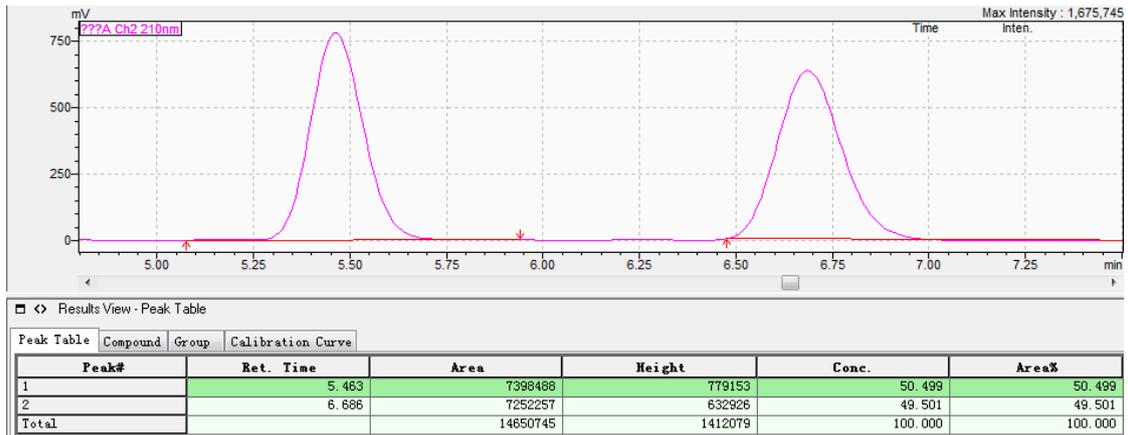
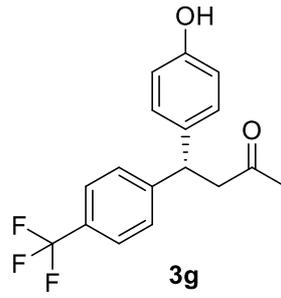


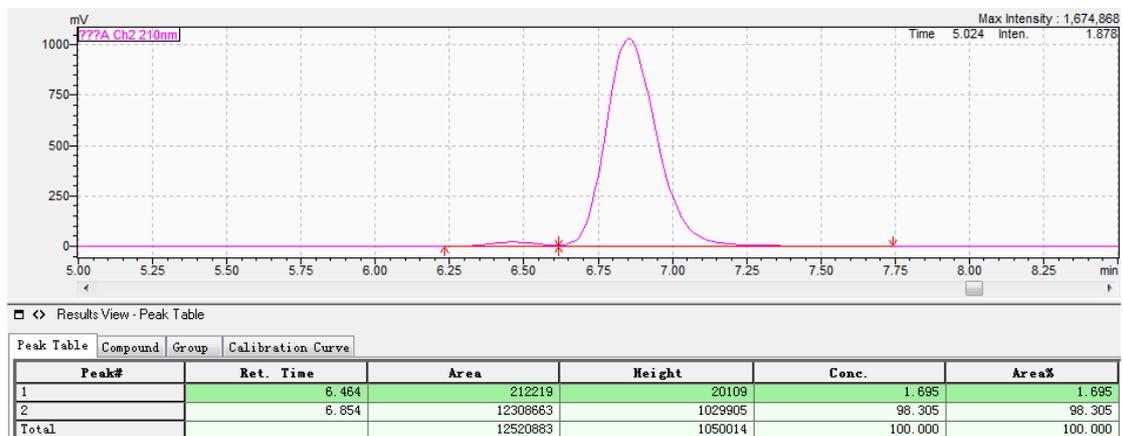
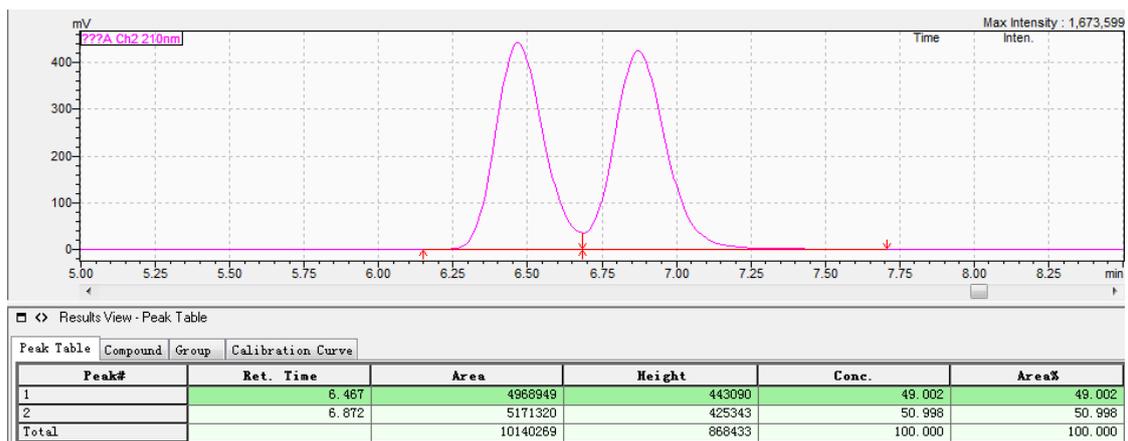
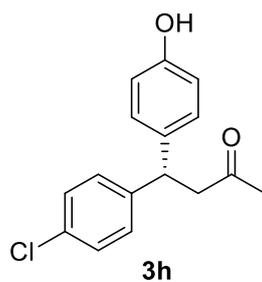
Results View - Peak Table

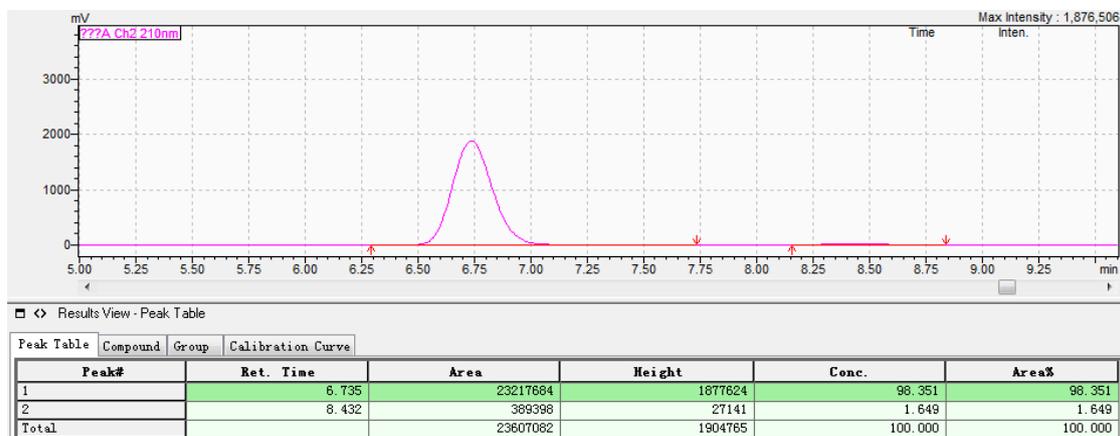
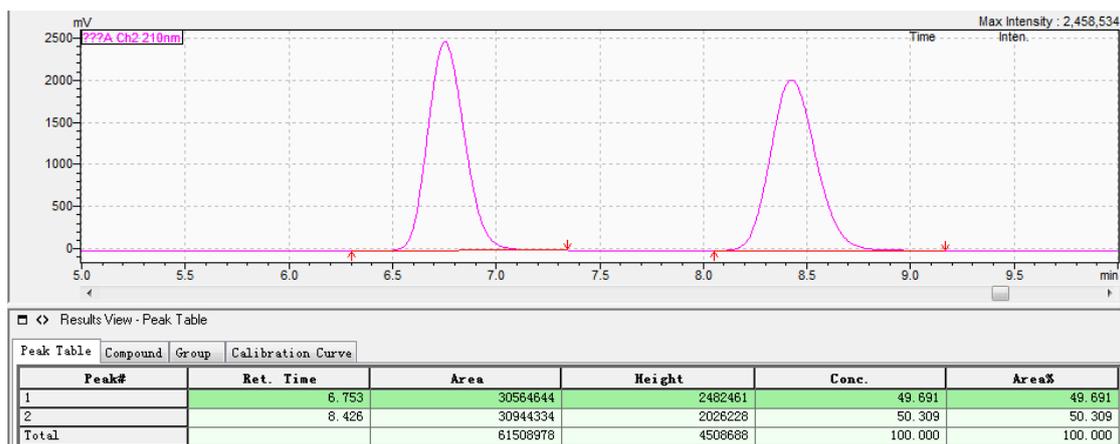
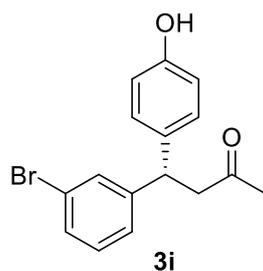
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	8.734	2809742	140020	97.625	97.625
2	9.656	68353	2734	2.375	2.375
Total		2878095	142754	100.000	100.000

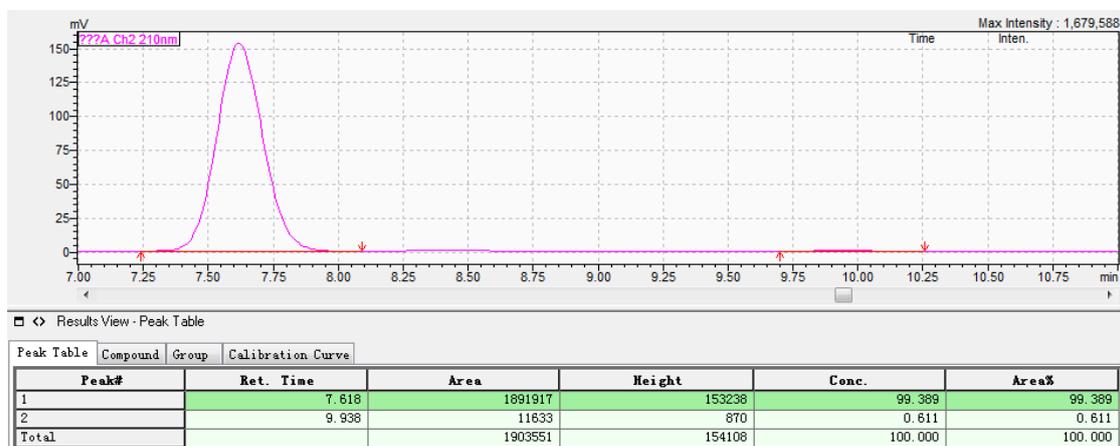
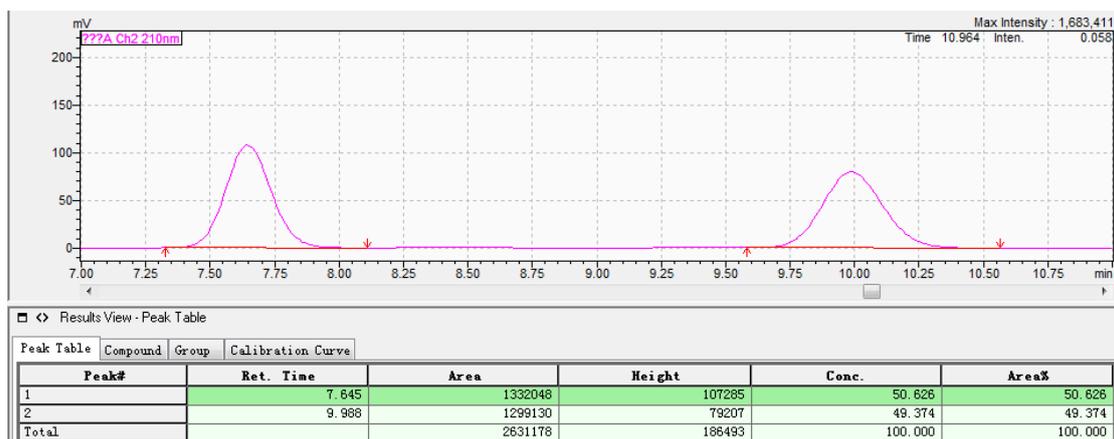
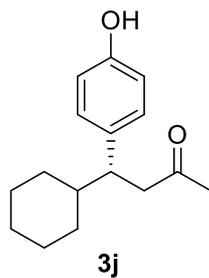


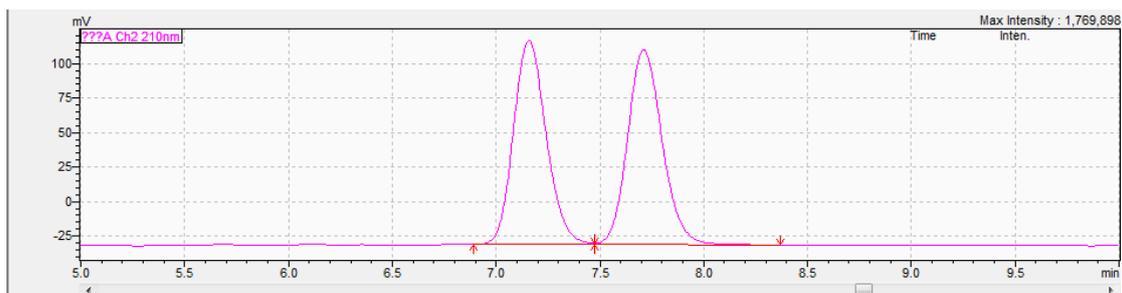
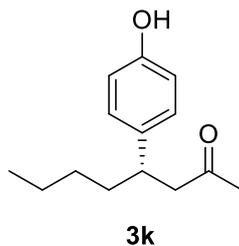








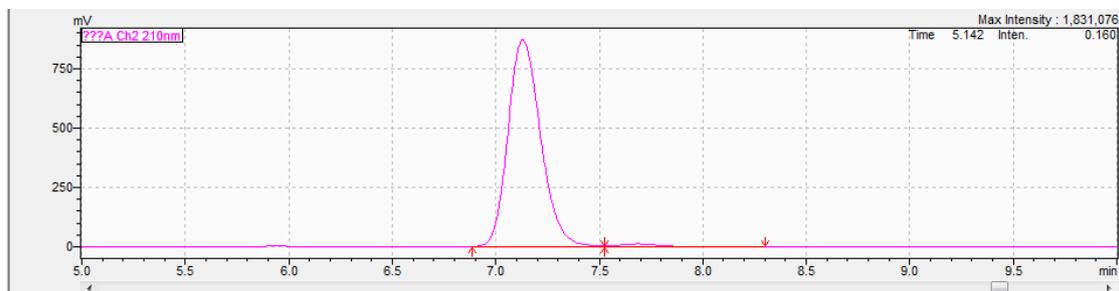




Results View - Peak Table

Peak Table Compound Group Calibration Curve

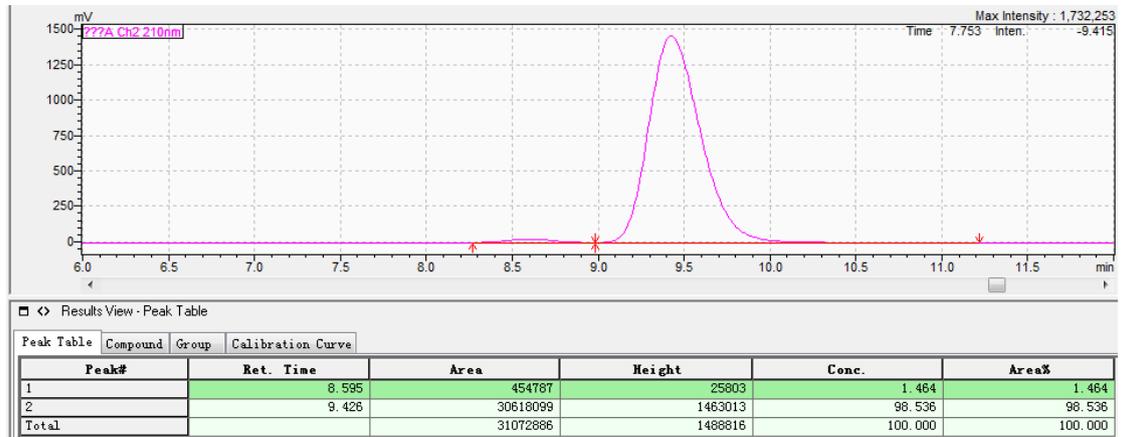
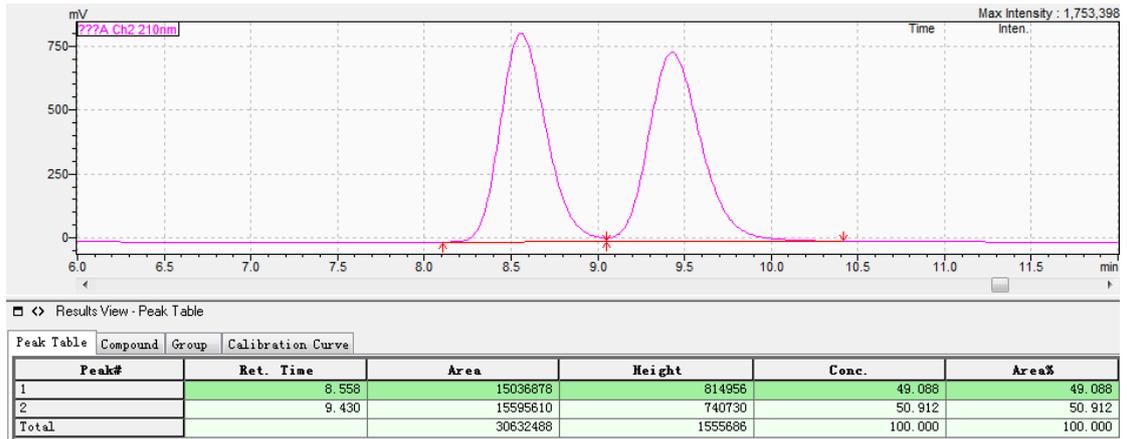
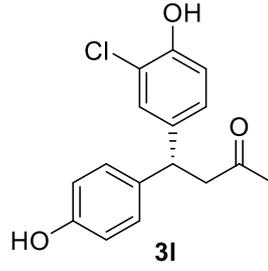
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.157	1814050	147707	49.727	49.727
2	7.711	1831796	141034	50.273	50.273
Total		3245846	288742	100.000	100.000

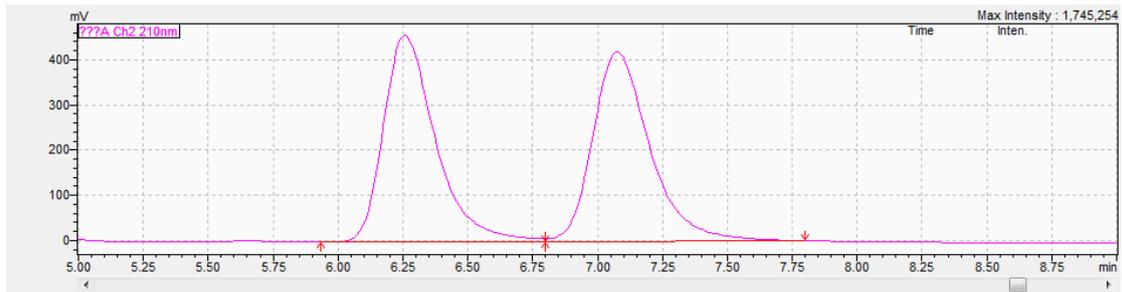
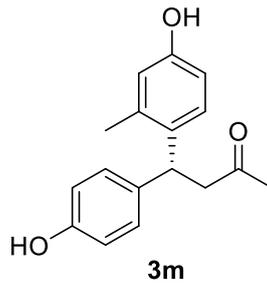


Results View - Peak Table

Peak Table Compound Group Calibration Curve

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.130	9554335	872310	98.428	98.428
2	7.667	152628	11888	1.572	1.572
Total		9706963	884199	100.000	100.000

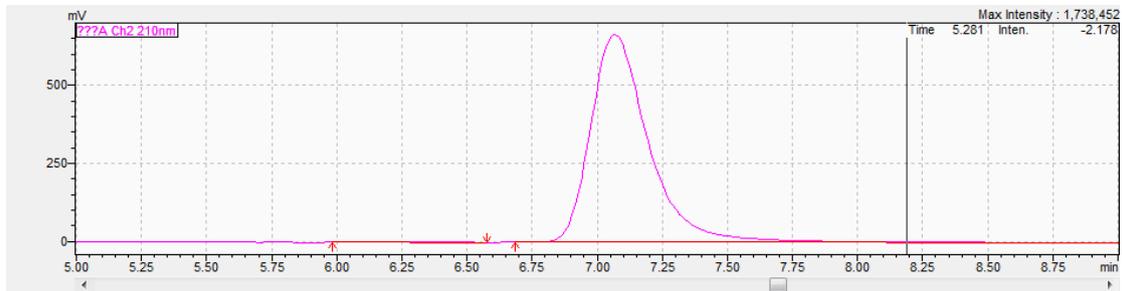




Results View - Peak Table

Peak Table Compound Group Calibration Curve

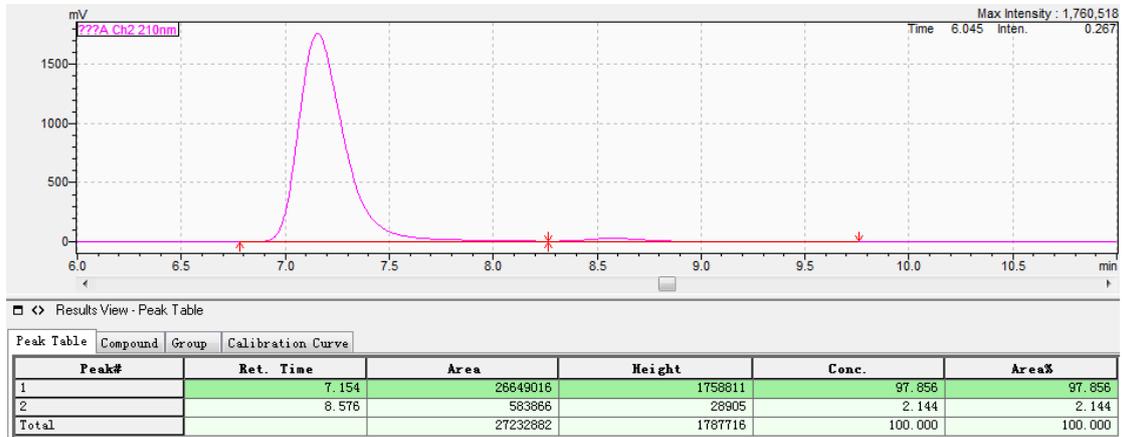
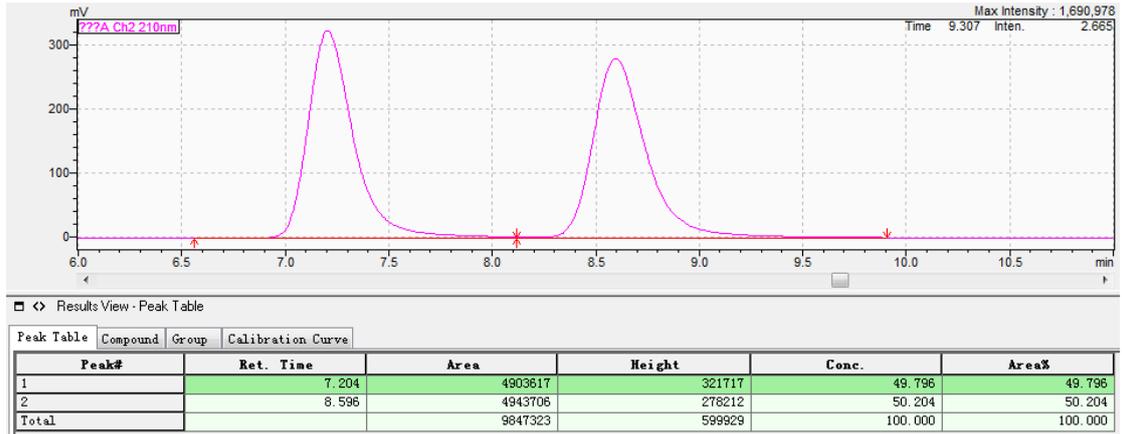
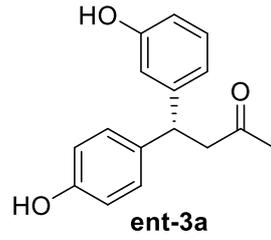
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	6.258	6374968	456833	49.879	49.879
2	7.076	6405486	418662	50.121	50.121
Total		12780154	875495	100.000	100.000

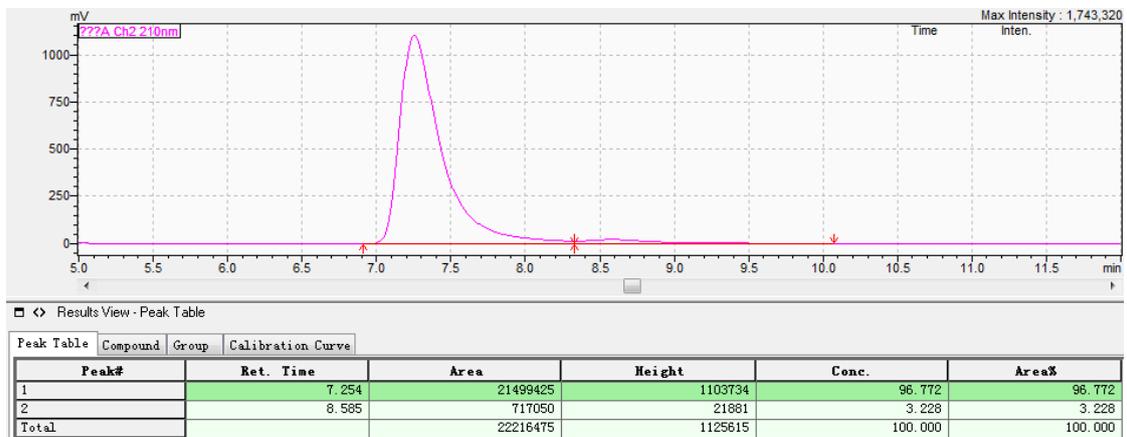
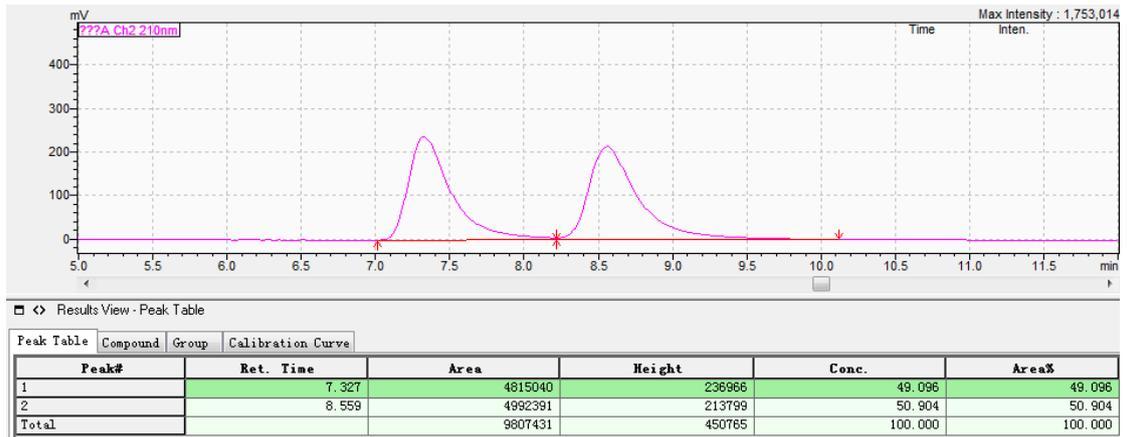
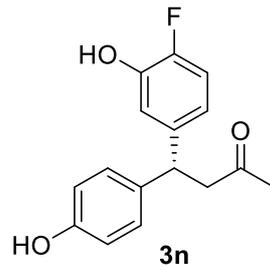


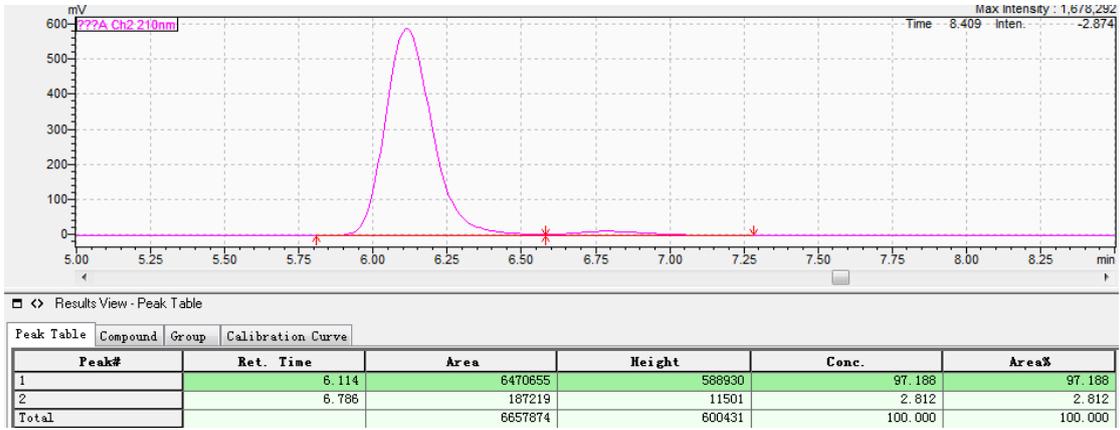
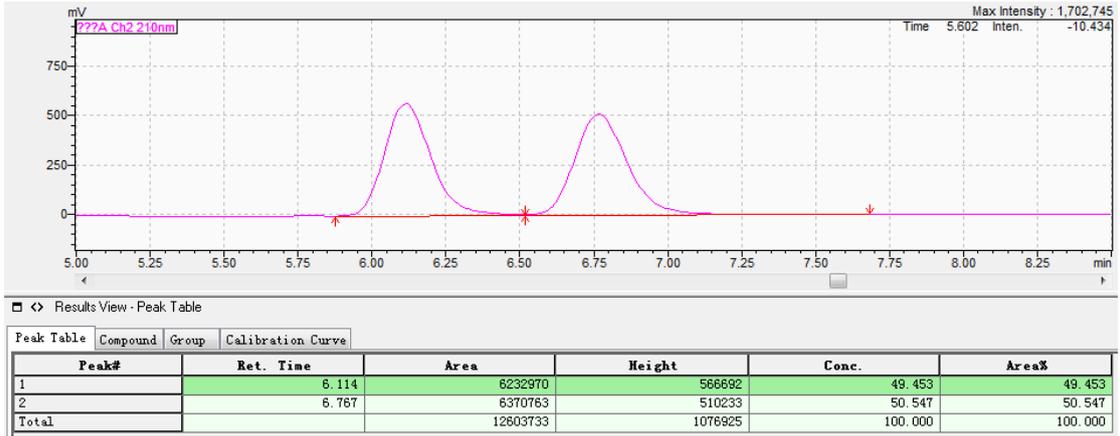
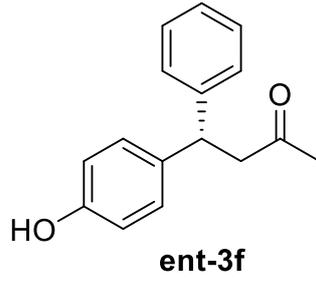
Results View - Peak Table

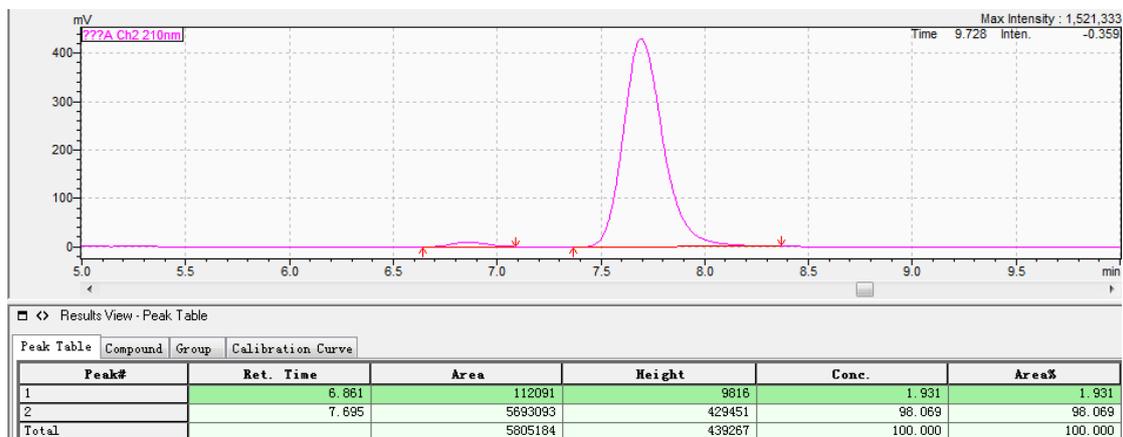
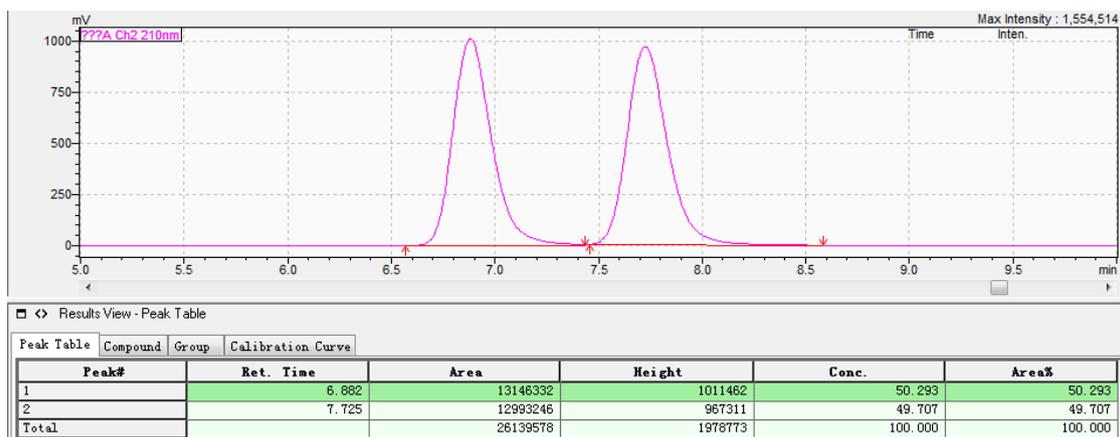
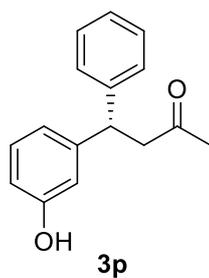
Peak Table Compound Group Calibration Curve

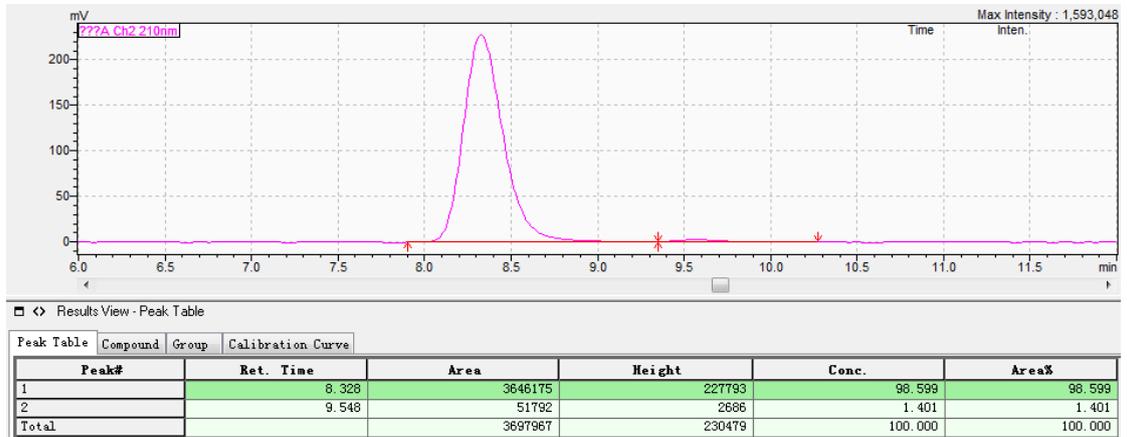
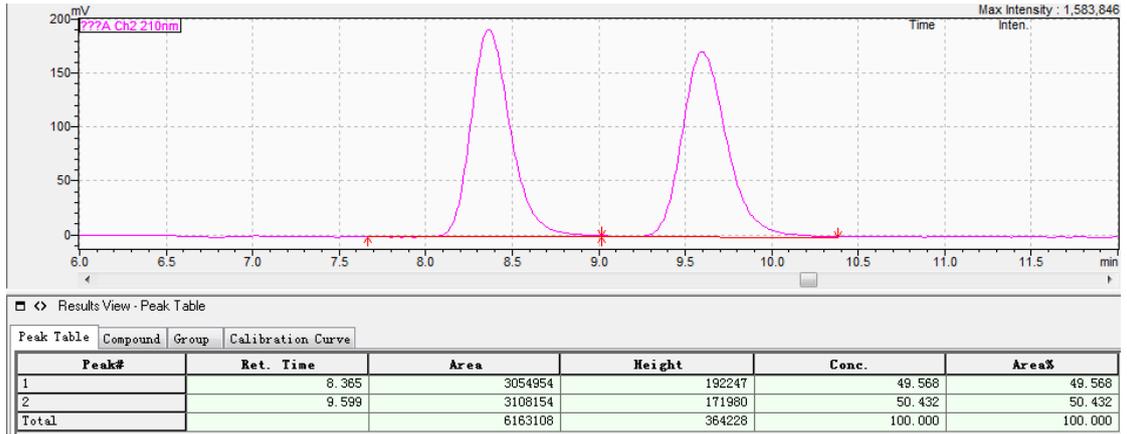
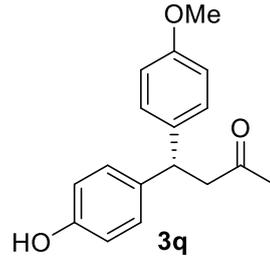
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	6.282	35496	2699	0.342	0.342
2	7.067	10332721	665292	99.658	99.658
Total		10368218	667990	100.000	100.000

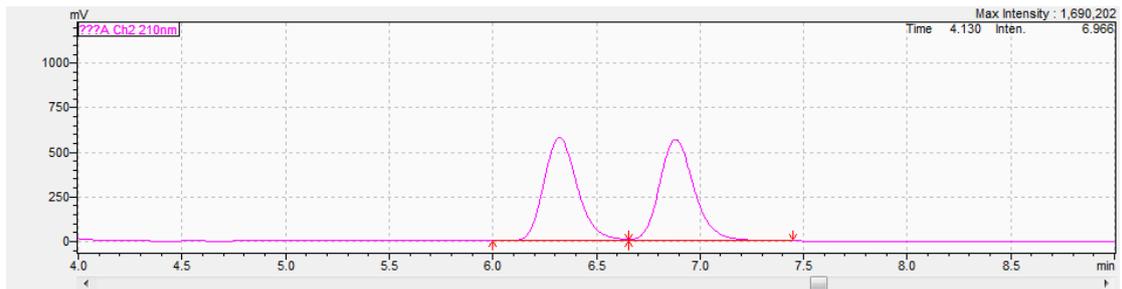
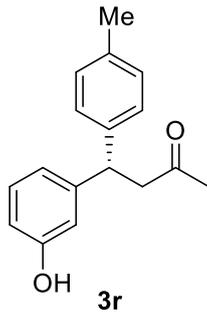






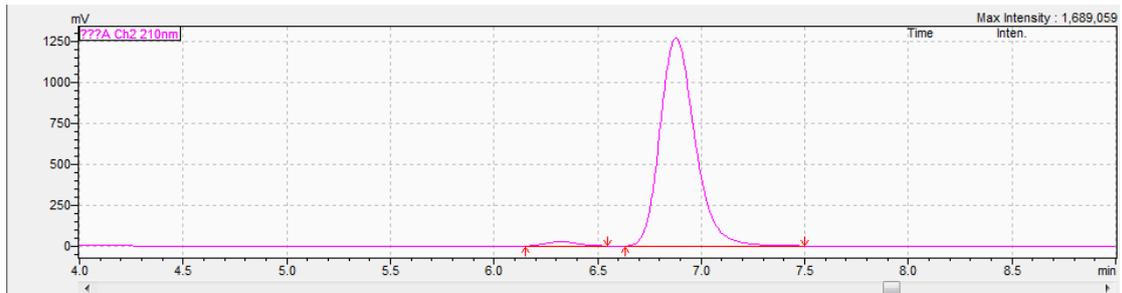






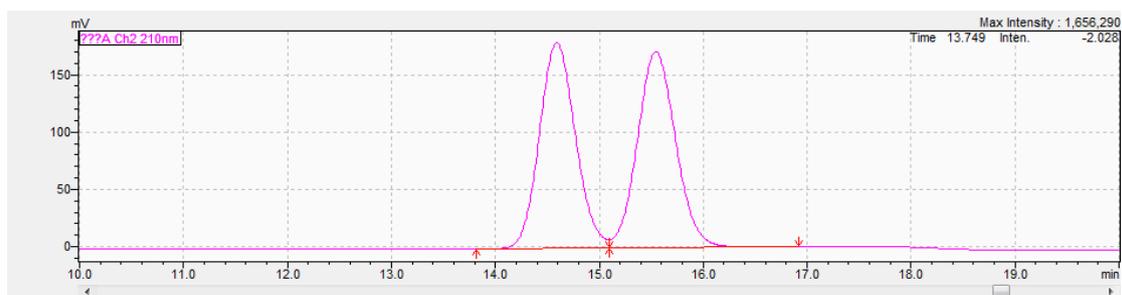
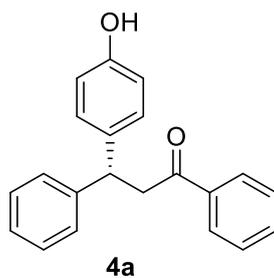
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	6.322	6544555	579239	49.751	49.751
2	6.882	6610062	567843	50.249	50.249
Total		13154617	1147082	100.000	100.000



Results View - Peak Table

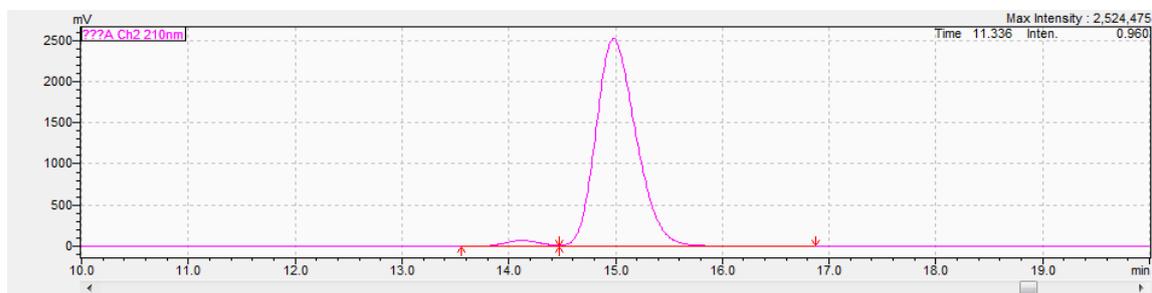
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	6.324	263195	25093	1.744	1.744
2	6.878	14830151	1269613	98.256	98.256
Total		15093346	1294706	100.000	100.000



Results View - Peak Table

Peak Table Compound Group Calibration Curve

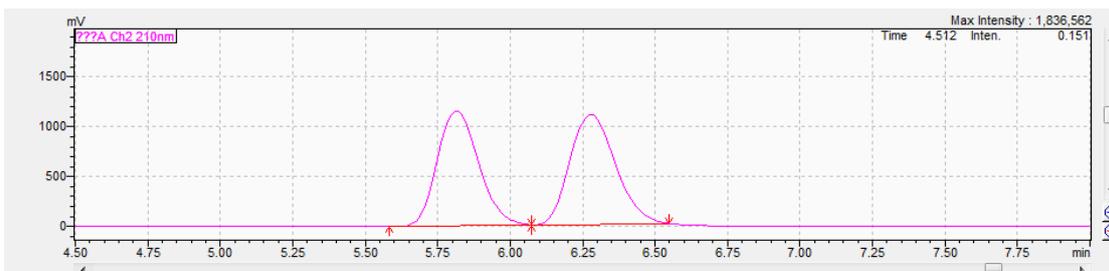
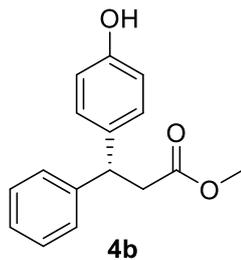
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	14.590	4335294	179892	49.633	49.633
2	15.545	4399398	171115	50.367	50.367
Total		8734692	351006	100.000	100.000



Results View - Peak Table

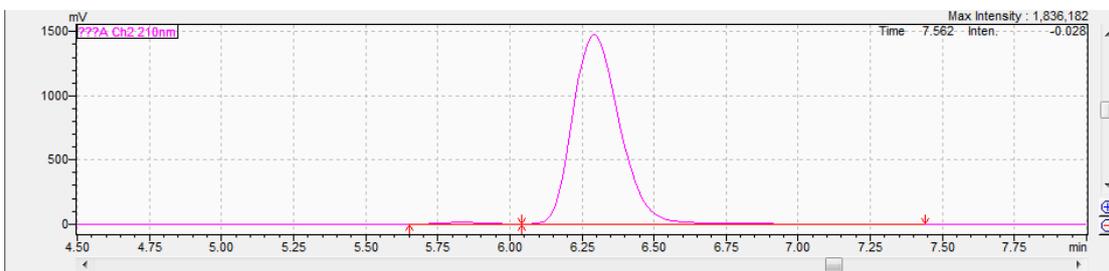
Peak Table Compound Group Calibration Curve

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	14.124	1626424	70511	2.422	2.422
2	14.982	65524242	2524146	97.578	97.578
Total		67150667	2594656	100.000	100.000



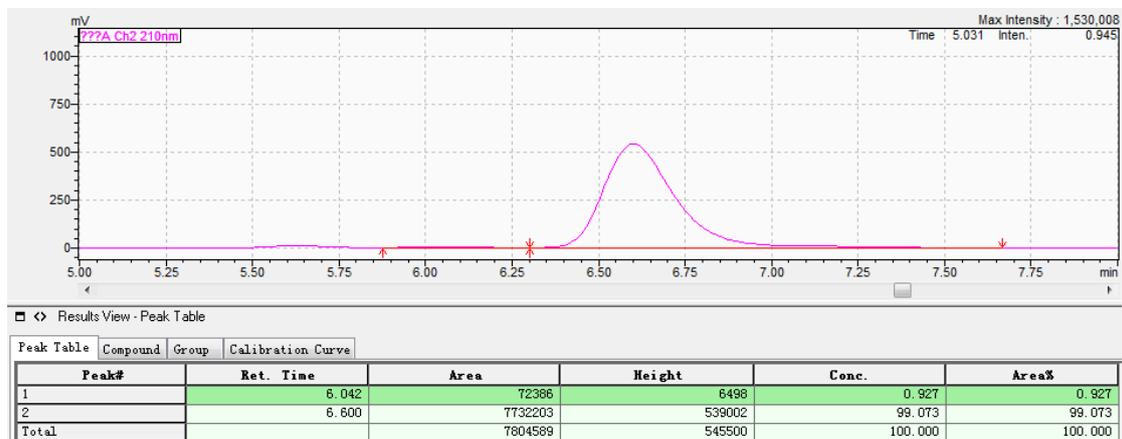
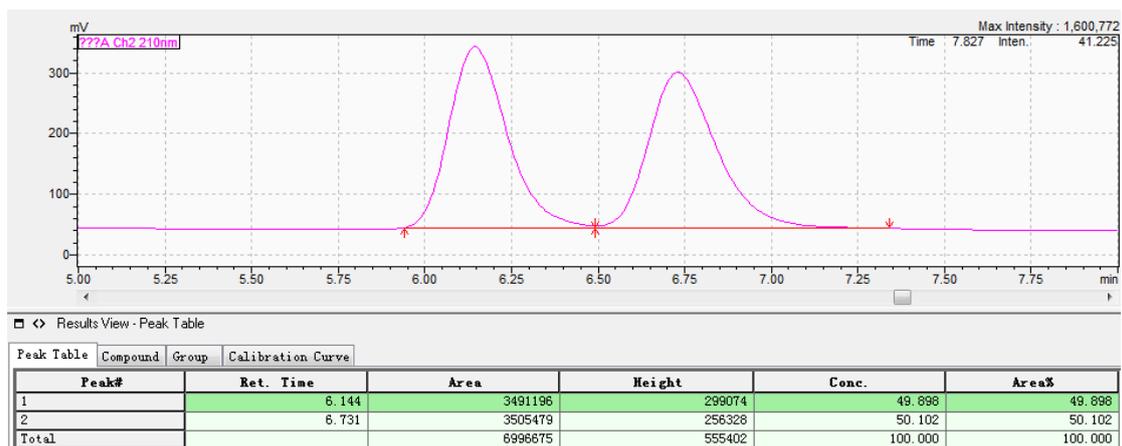
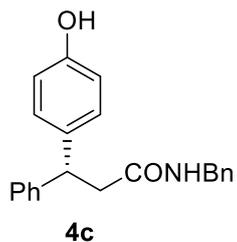
Results View - Peak Table

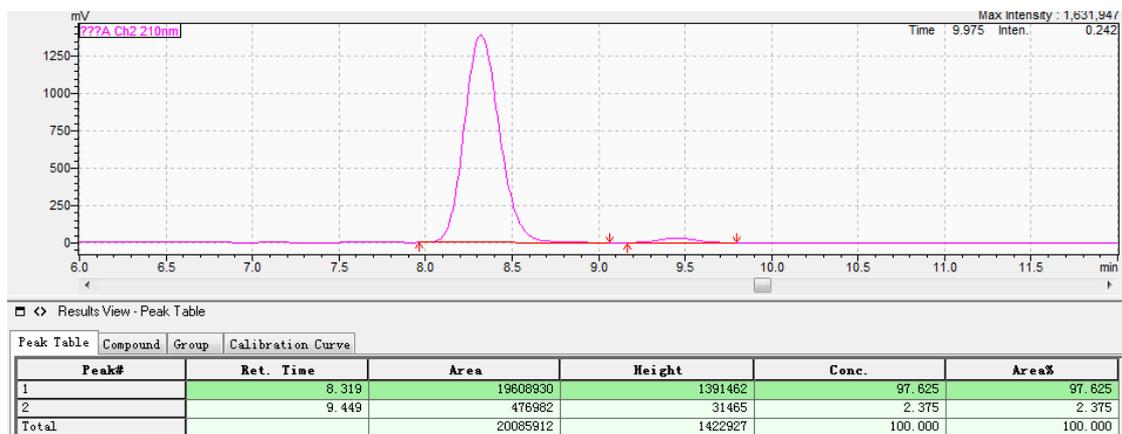
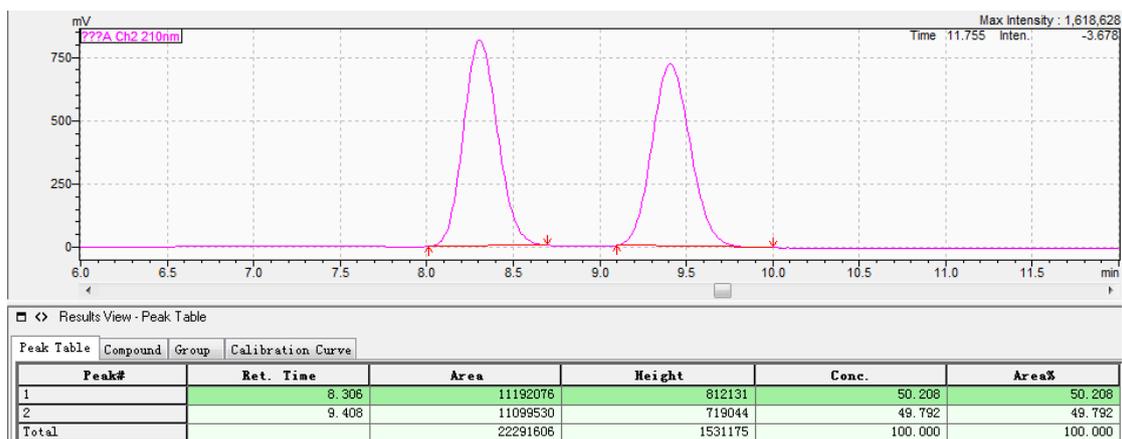
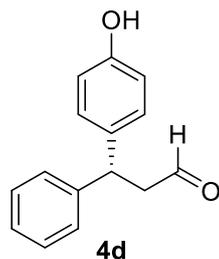
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.815	11375698	1151292	48.556	48.556
2	6.278	12052355	1101761	51.444	51.444
Total		23428053	2253052	100.000	100.000

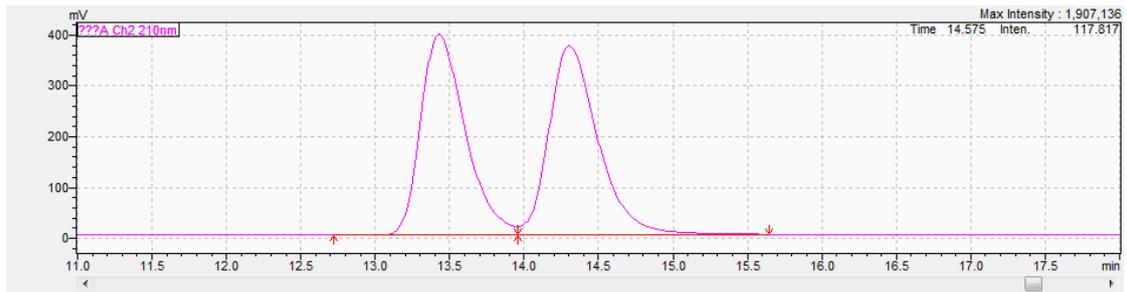
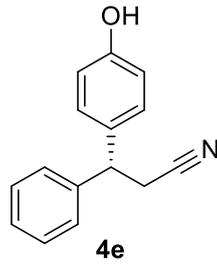


Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.834	125200	13319	0.746	0.746
2	6.291	16660609	1474530	99.254	99.254
Total		16785809	1487849	100.000	100.000

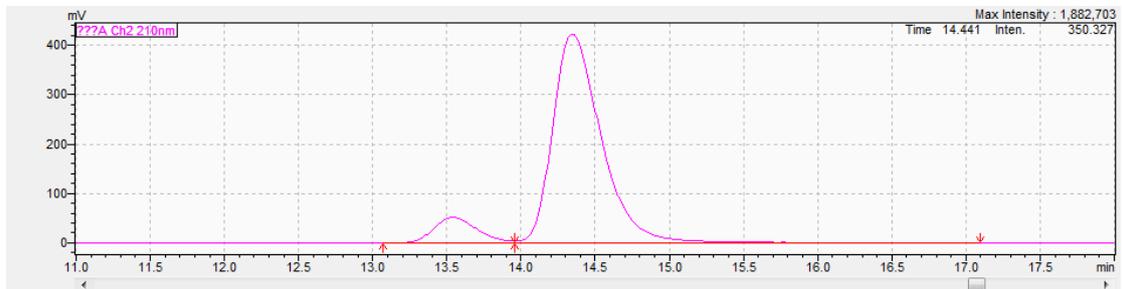






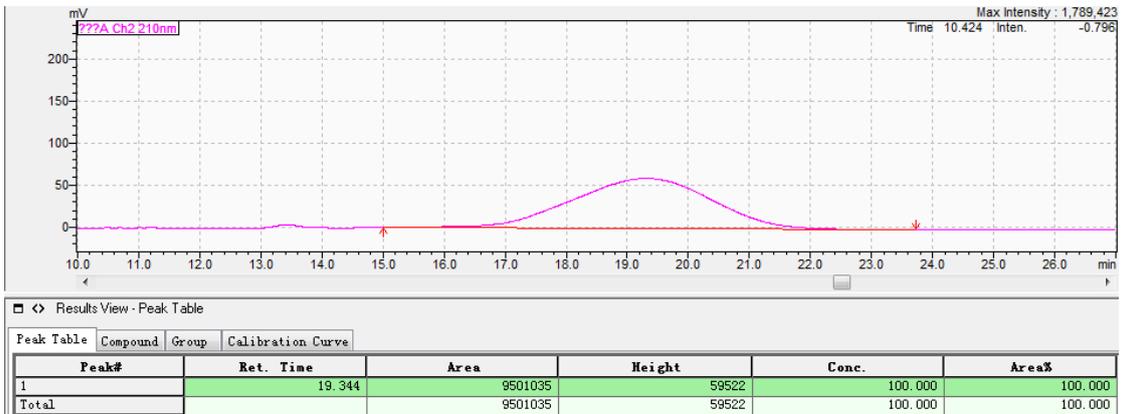
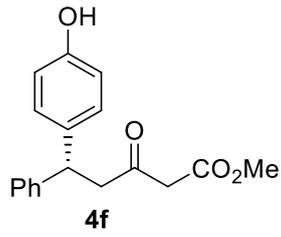
Results View - Peak Table

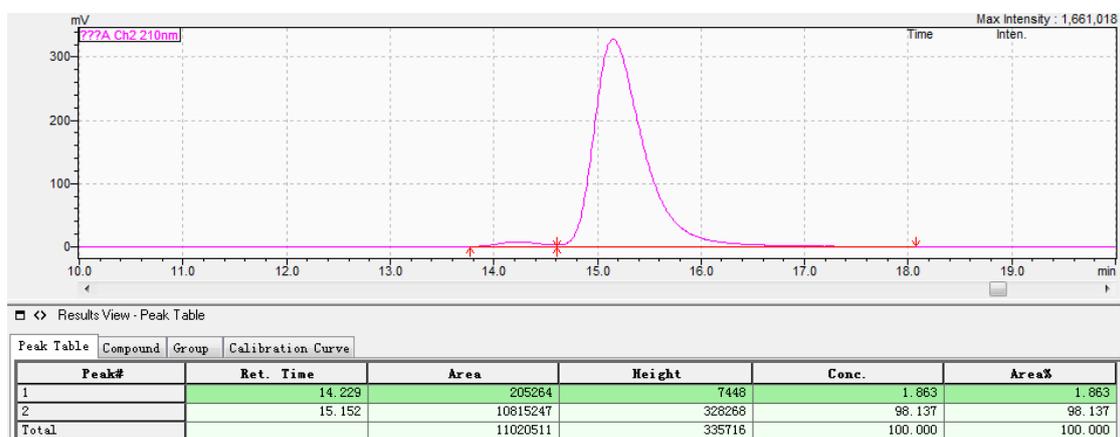
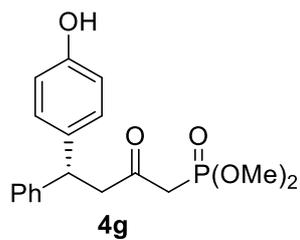
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	13.428	8213347	395300	49.109	49.109
2	14.303	8511446	371403	50.891	50.891
Total		16724793	766703	100.000	100.000

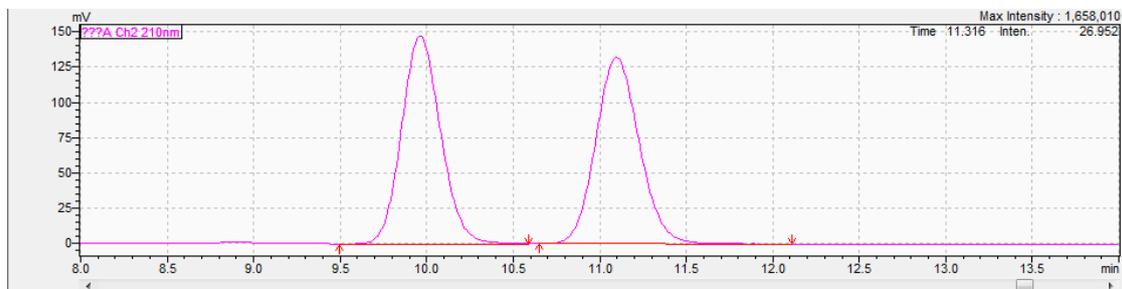
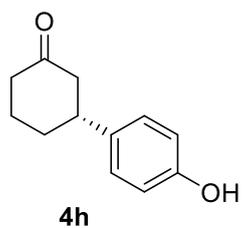


Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	13.542	1054321	52018	9.856	9.856
2	14.347	9643387	422906	90.144	90.144
Total		10697708	474924	100.000	100.000

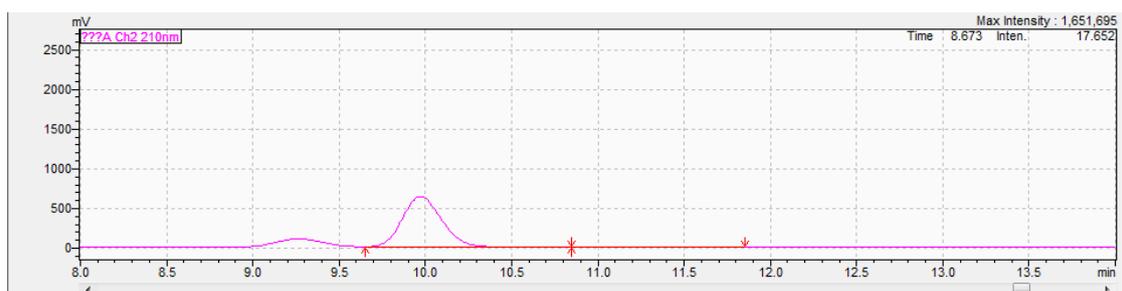






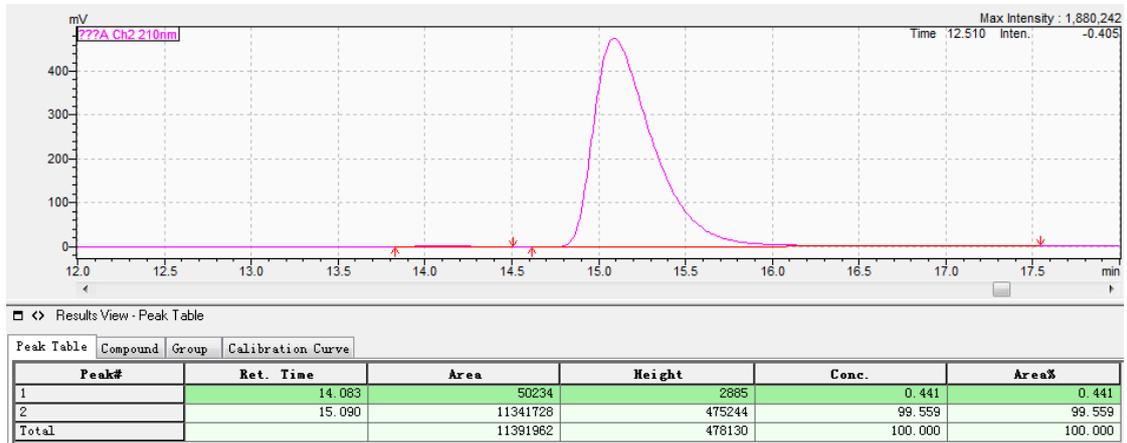
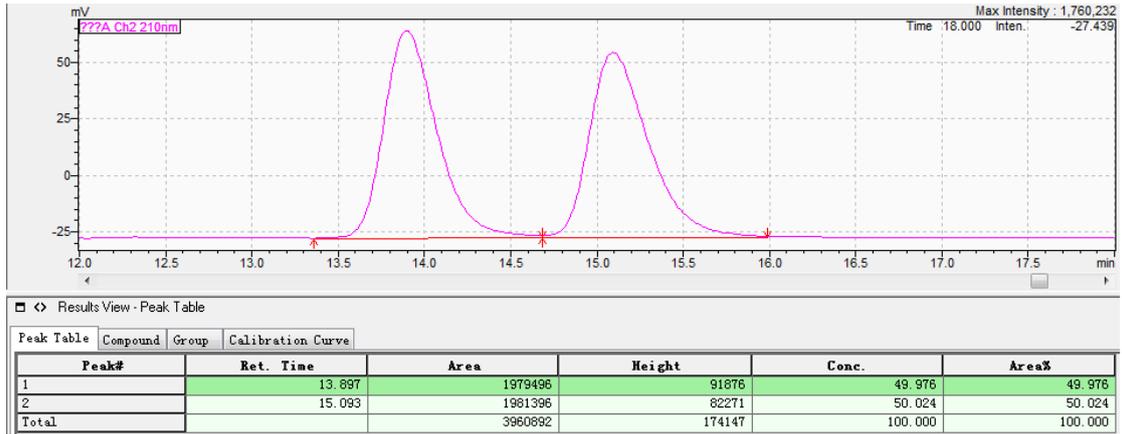
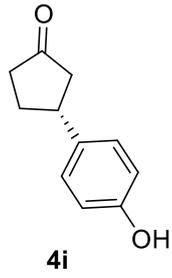
Results View - Peak Table

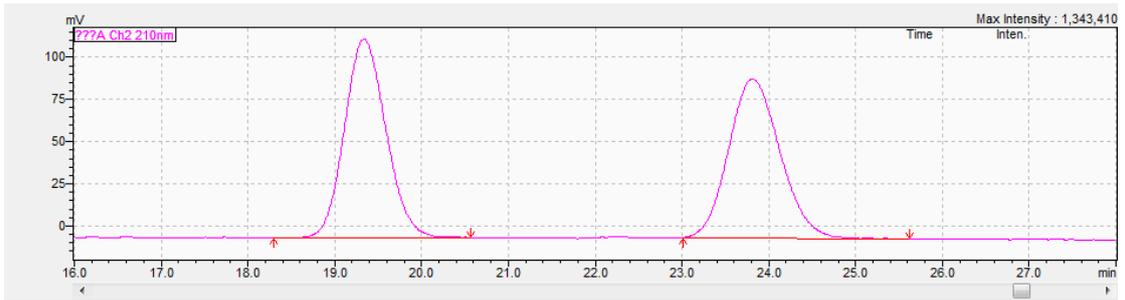
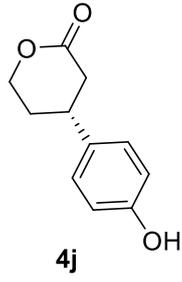
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	9.962	2315738	147352	50.045	50.045
2	11.097	2311554	132051	49.955	49.955
Total		4627292	279403	100.000	100.000



Results View - Peak Table

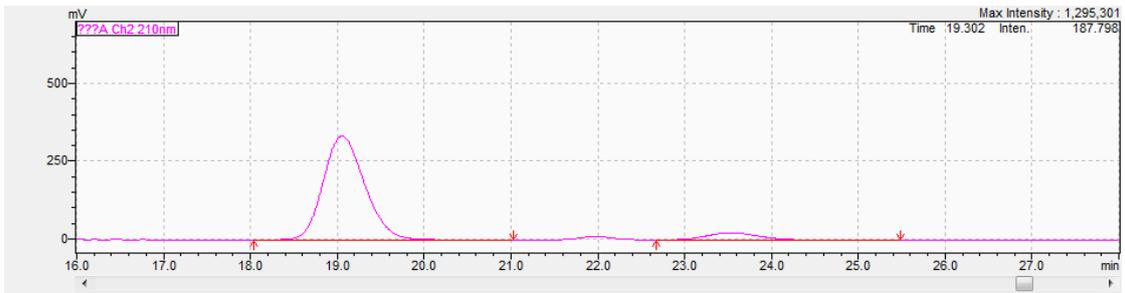
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	9.972	10089368	636596	98.924	98.924
2	11.132	109703	5837	1.076	1.076
Total		10199071	642433	100.000	100.000





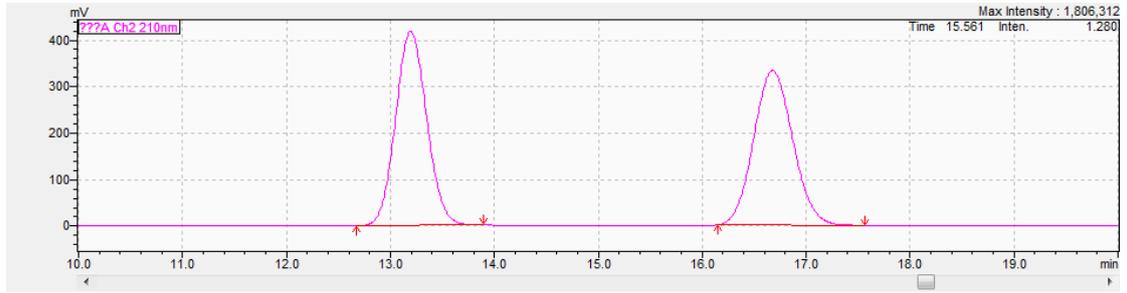
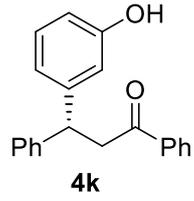
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	19.335	3885830	117661	49.960	49.960
2	23.813	3892012	94240	50.040	50.040
Total		7777842	211901	100.000	100.000



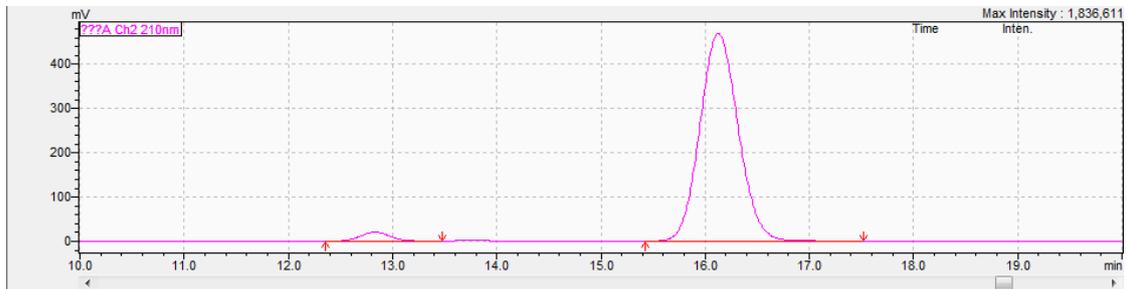
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	19.054	11035474	334198	92.045	92.045
2	23.544	953740	23303	7.955	7.955
Total		11989214	357501	100.000	100.000



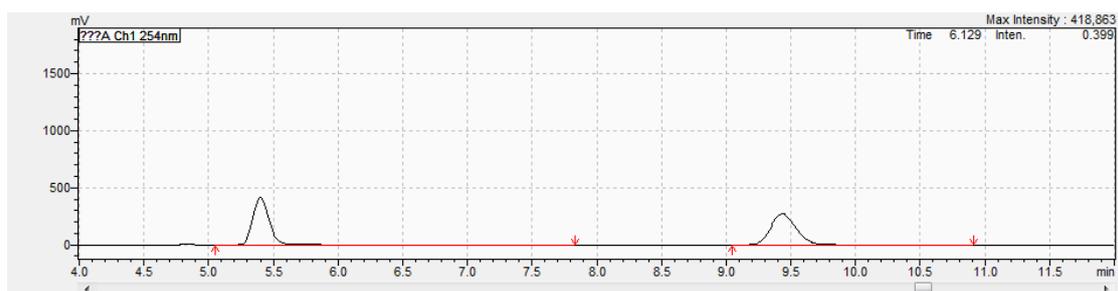
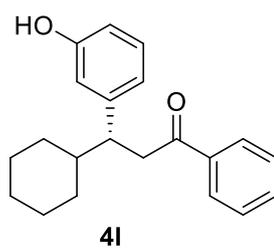
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	13.196	8719787	417402	50.057	50.057
2	16.677	8699981	332181	49.943	49.943
Total		17419769	749583	100.000	100.000



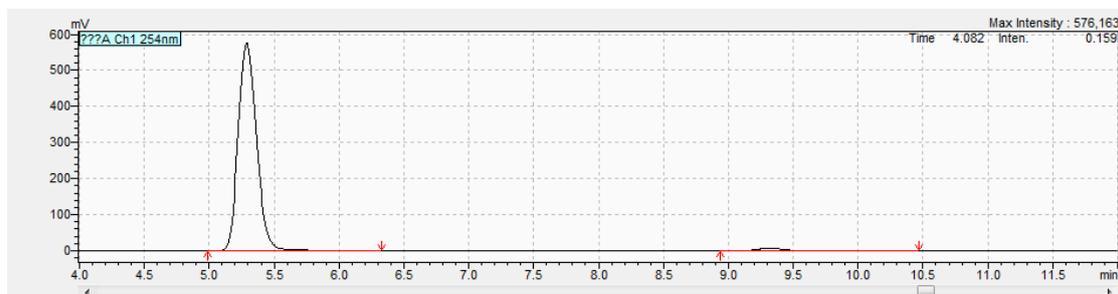
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	12.828	388761	19893	3.155	3.155
2	16.126	11934562	466676	96.845	96.845
Total		12323322	486569	100.000	100.000



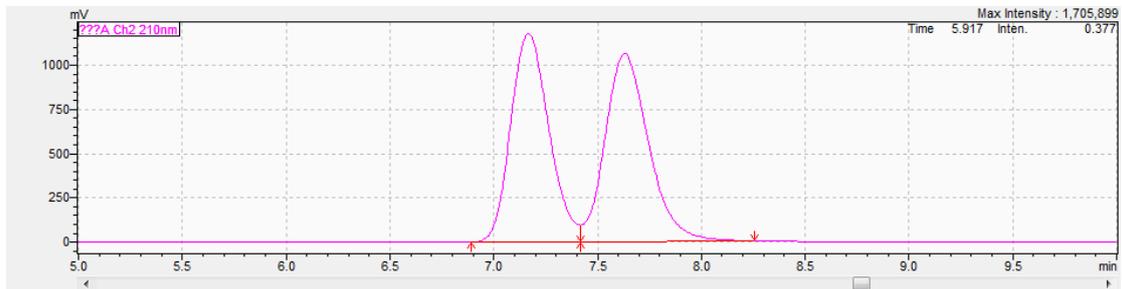
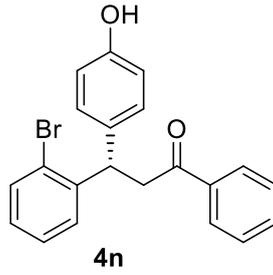
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.400	3734400	419776	50.415	50.415
2	9.434	3672851	271263	49.585	49.585
Total		7407251	691039	100.000	100.000



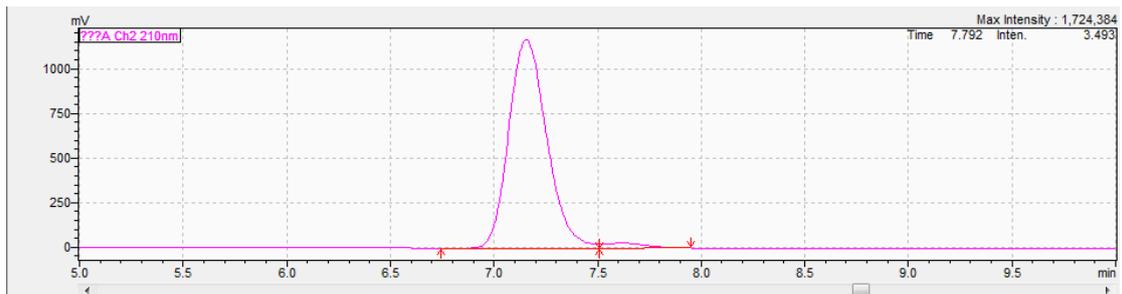
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.289	5693977	576286	98.271	98.271
2	9.322	100202	7277	1.729	1.729
Total		5794179	583563	100.000	100.000



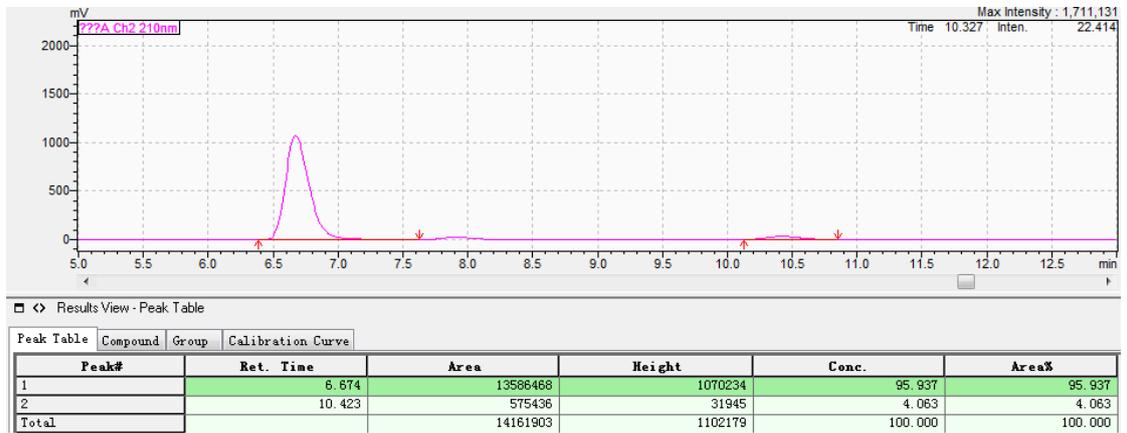
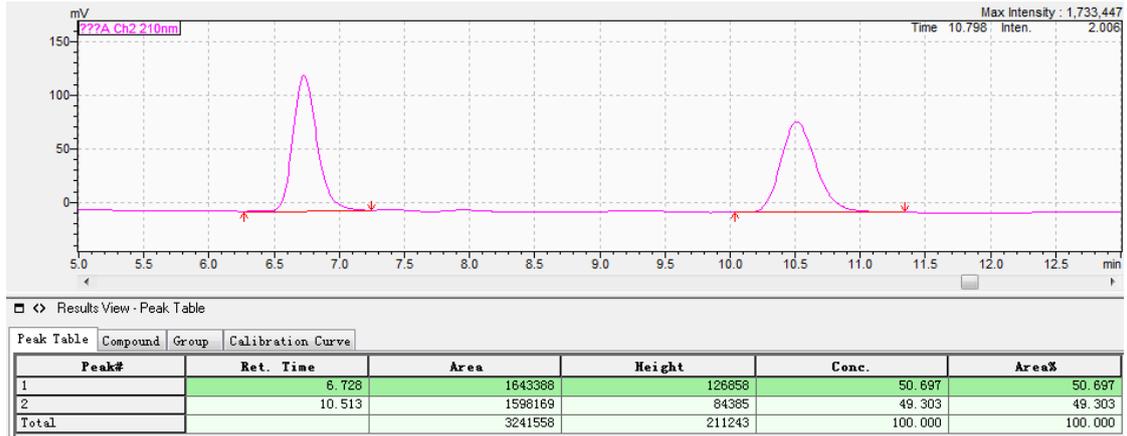
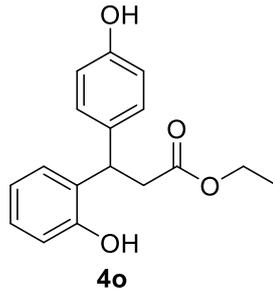
Results View - Peak Table

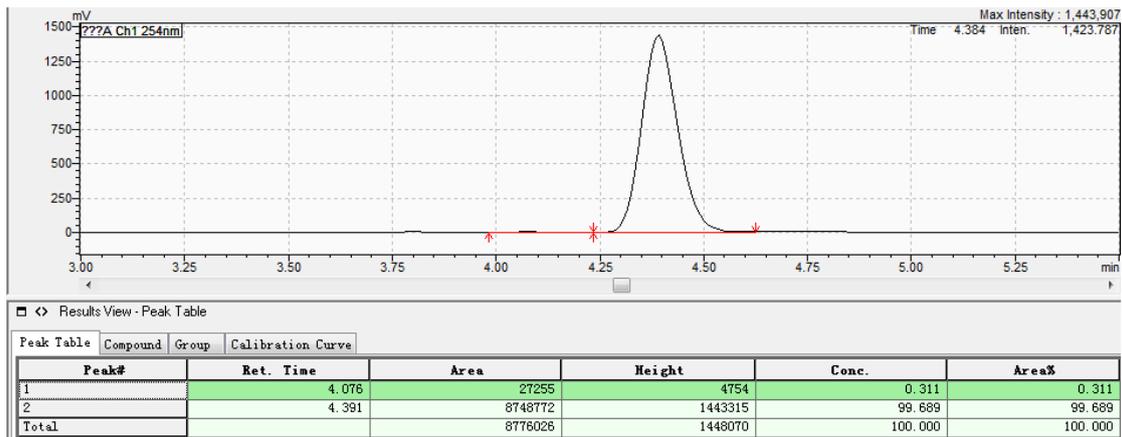
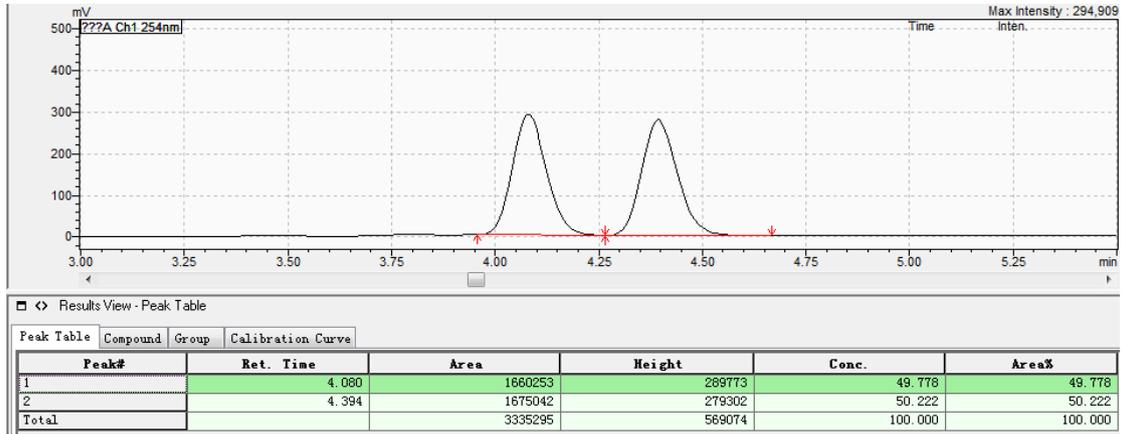
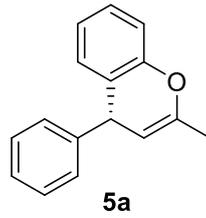
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.167	15065686	1177517	49.354	49.354
2	7.830	15459968	1060328	50.646	50.646
Total		30525653	2237844	100.000	100.000

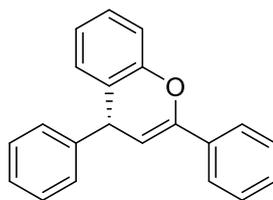


Results View - Peak Table

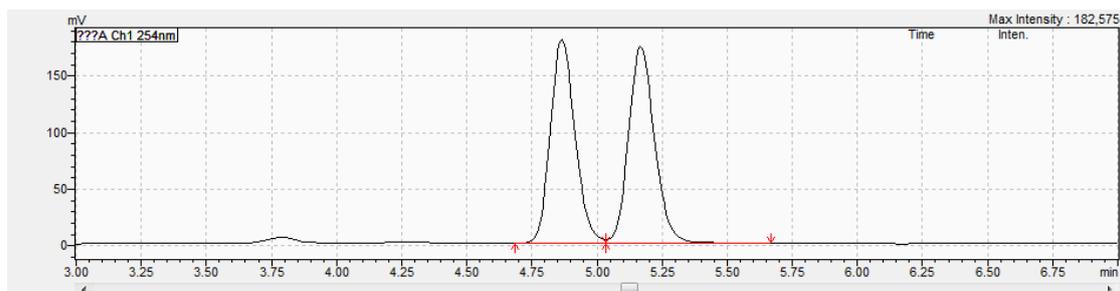
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.155	14905483	1167571	97.506	97.506
2	7.621	381304	27543	2.494	2.494
Total		15286787	1195115	100.000	100.000







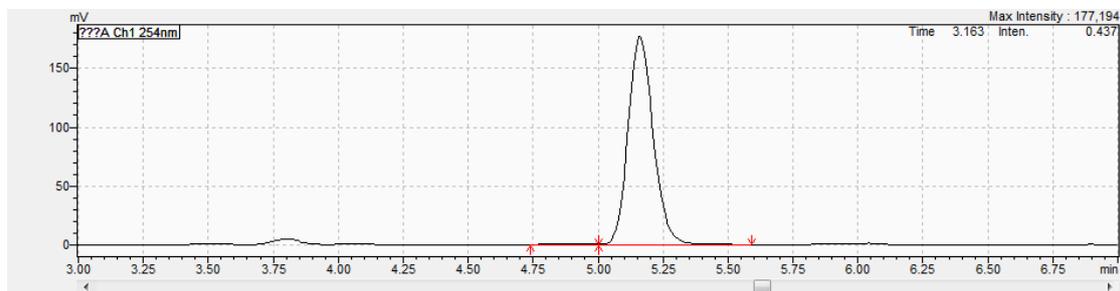
5b



Results View - Peak Table

Peak Table Compound Group Calibration Curve

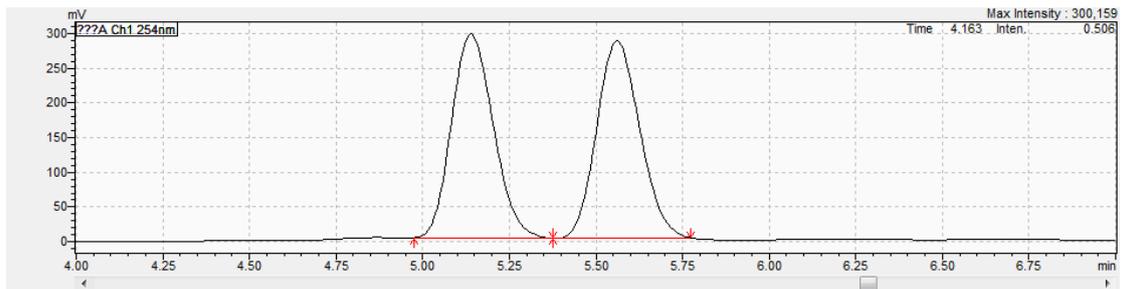
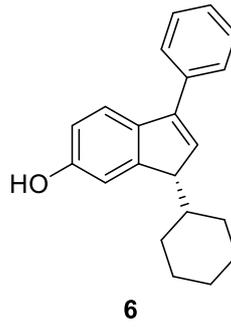
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	4.865	1184867	179695	49.775	49.775
2	5.188	1195595	173671	50.225	50.225
Total		2380462	353366	100.000	100.000



Results View - Peak Table

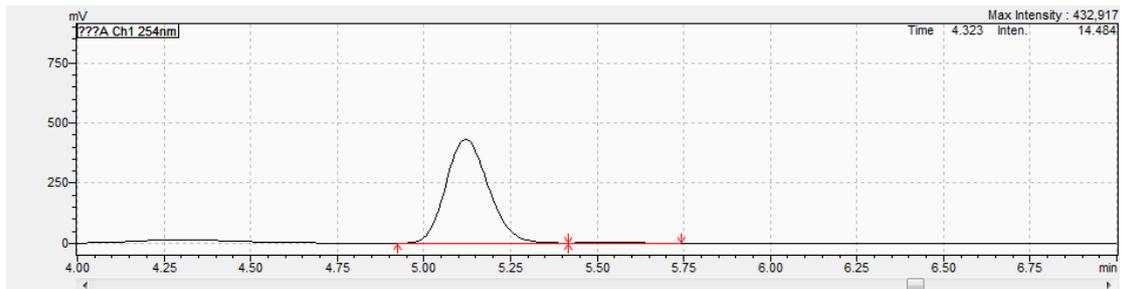
Peak Table Compound Group Calibration Curve

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	4.864	7117	873	0.597	0.597
2	5.181	1184944	176667	99.403	99.403
Total		1192061	177540	100.000	100.000



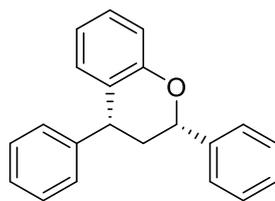
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.140	2466703	294778	50.026	50.026
2	5.561	2464169	284166	49.974	49.974
Total		4930871	578945	100.000	100.000

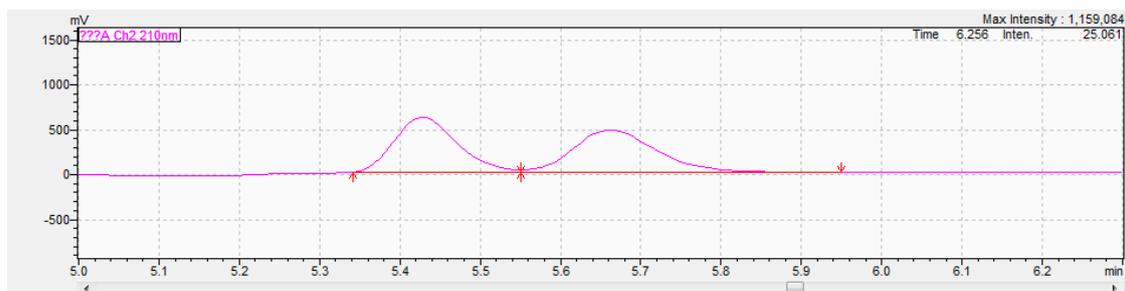


Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.121	3695829	432045	98.678	98.678
2	5.538	49529	5516	1.322	1.322
Total		3745358	437561	100.000	100.000



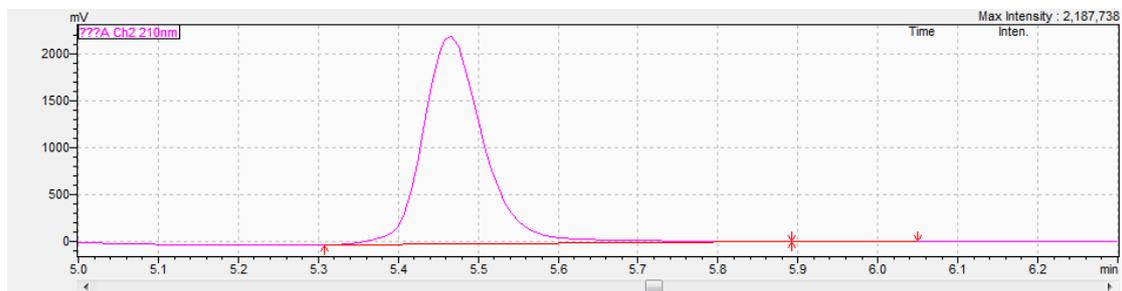
7



Results View - Peak Table

Peak Table Compound Group Calibration Curve

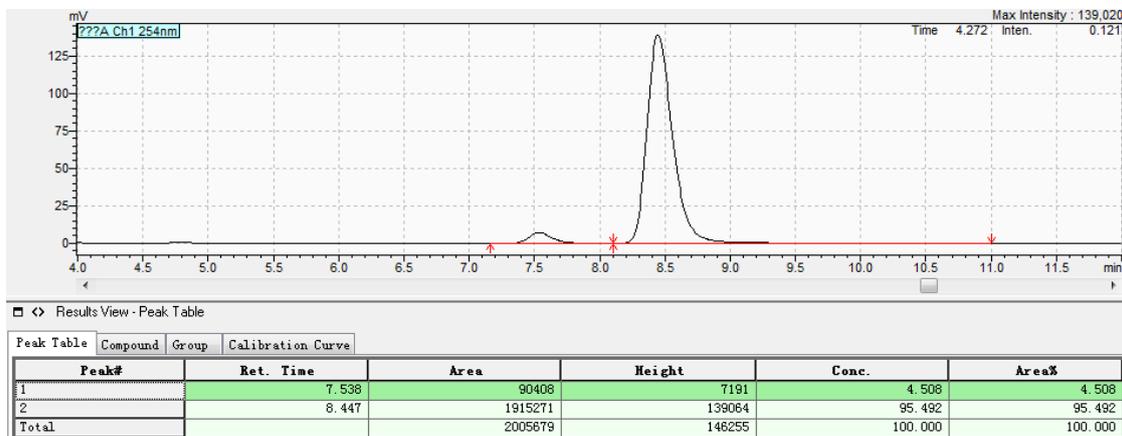
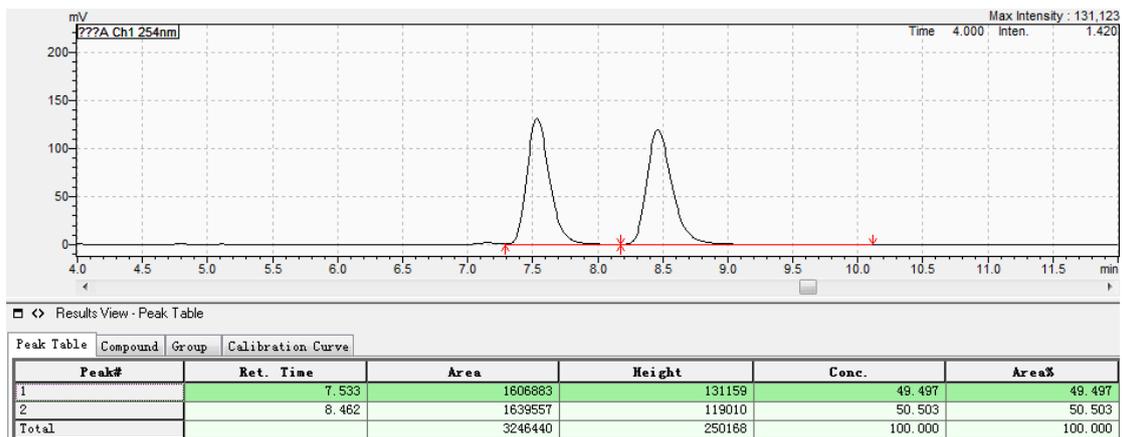
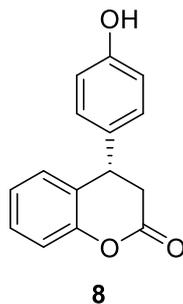
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.428	3287672	609366	49.599	49.599
2	5.661	3340897	471496	50.401	50.401
Total		6628569	1080862	100.000	100.000

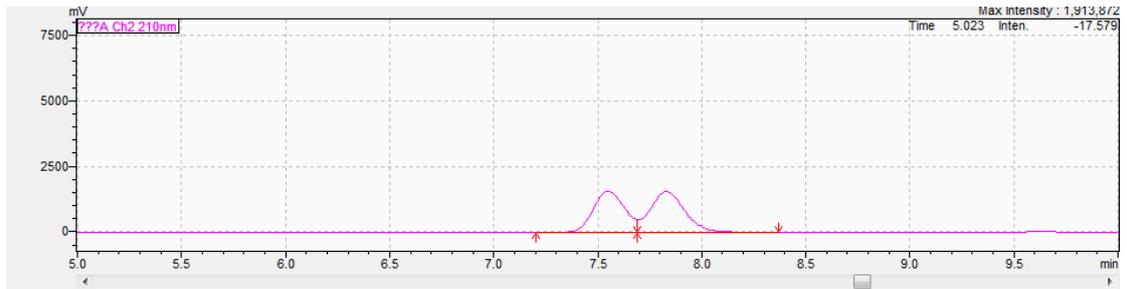
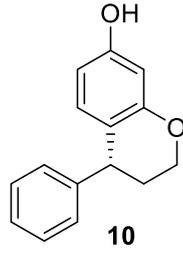


Results View - Peak Table

Peak Table Compound Group Calibration Curve

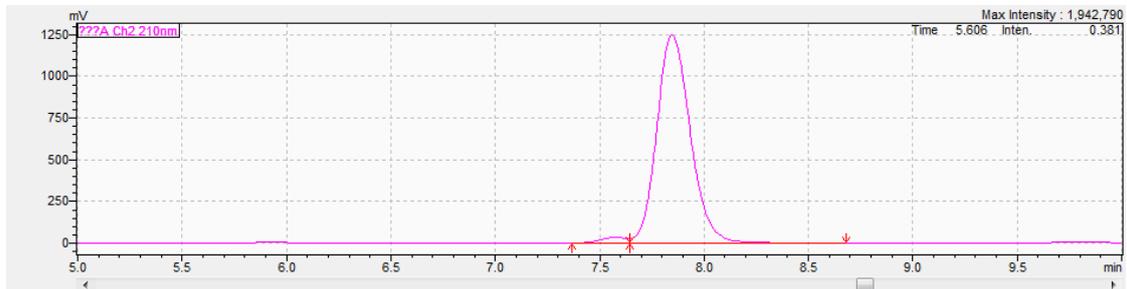
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	5.465	11708679	2217827	99.981	99.981
2	5.910	2188	450	0.019	0.019
Total		11710867	2218277	100.000	100.000





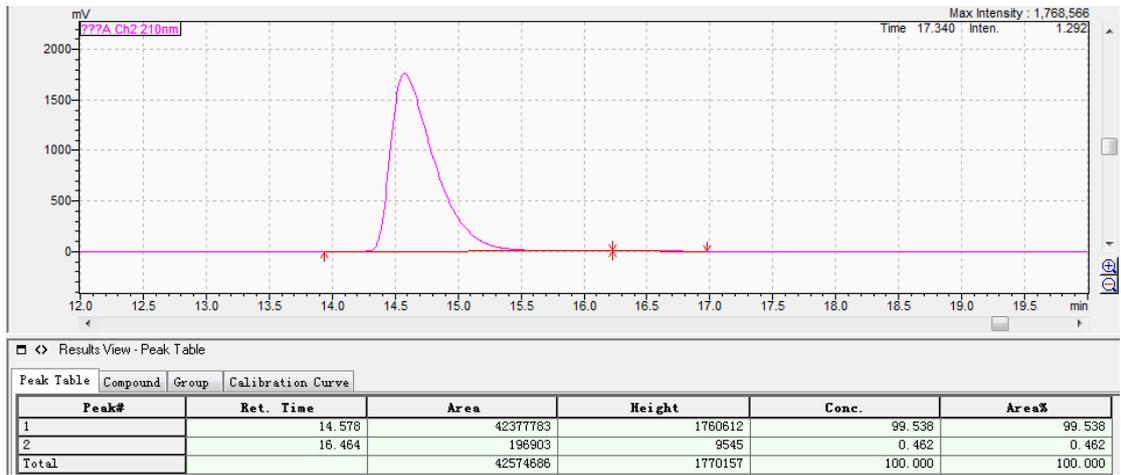
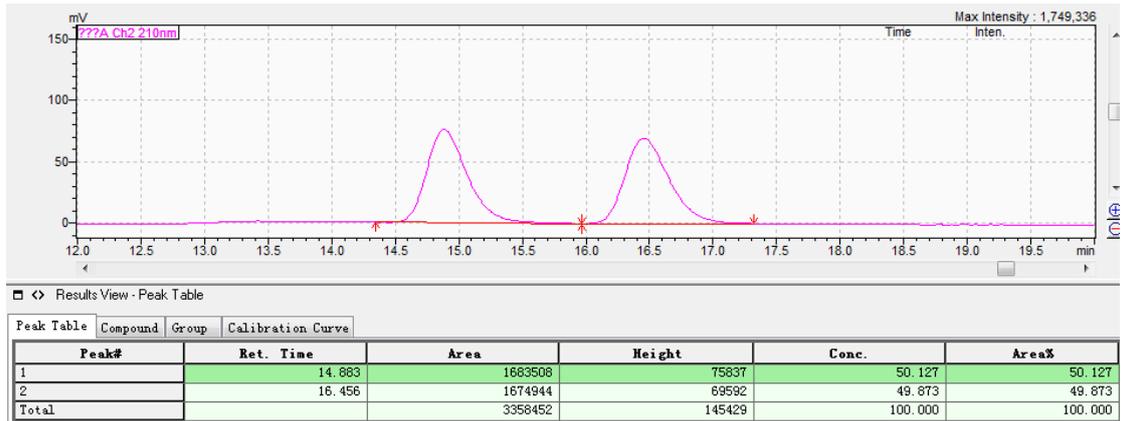
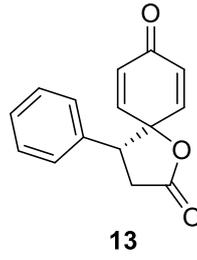
Results View - Peak Table

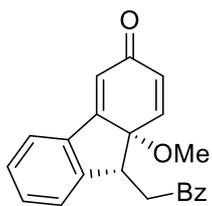
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.549	16477759	1565283	48.088	48.088
2	7.830	17787981	1544398	51.912	51.912
Total		34265740	3109681	100.000	100.000



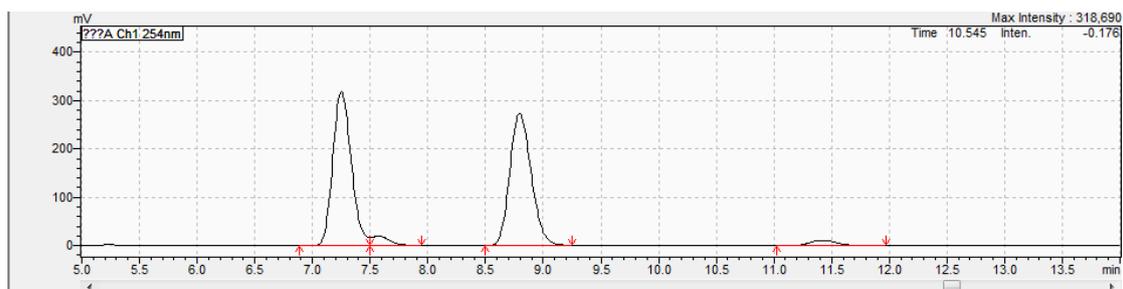
Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.574	287918	32644	2.031	2.031
2	7.848	13685675	1247455	97.969	97.969
Total		14173593	1280099	100.000	100.000





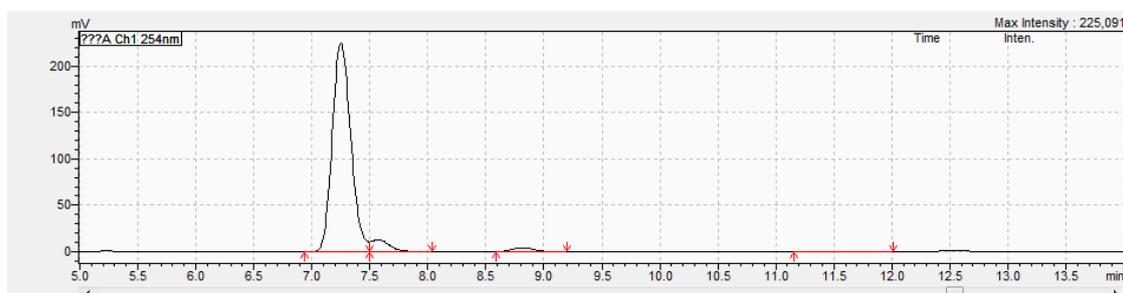
15



Results View - Peak Table

Peak Table Compound Group Calibration Curve

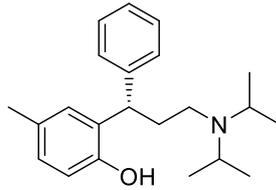
Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.251	3560847	318582	47.670	47.670
2	7.572	204982	19223	2.744	2.744
3	8.795	3523329	272862	47.168	47.168
4	11.419	180598	11289	2.418	2.418
Total		7469756	621936	100.000	100.000



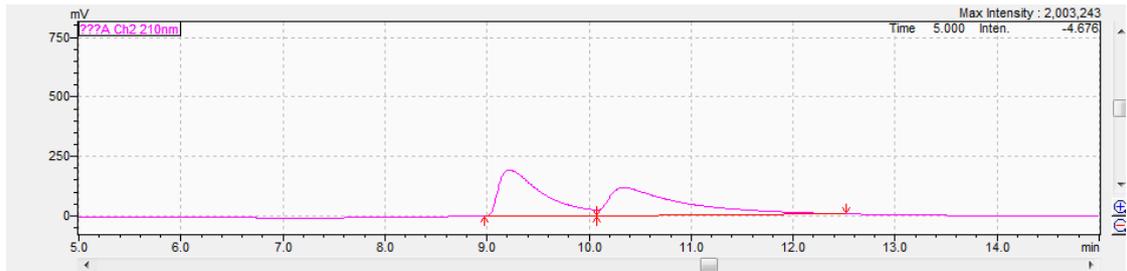
Results View - Peak Table

Peak Table Compound Group Calibration Curve

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	7.251	2498875	225044	92.836	92.836
2	7.574	135531	12825	5.035	5.035
3	8.813	53307	4239	1.980	1.980
4	11.399	3994	192	0.148	0.148
Total		2691707	242300	100.000	100.000

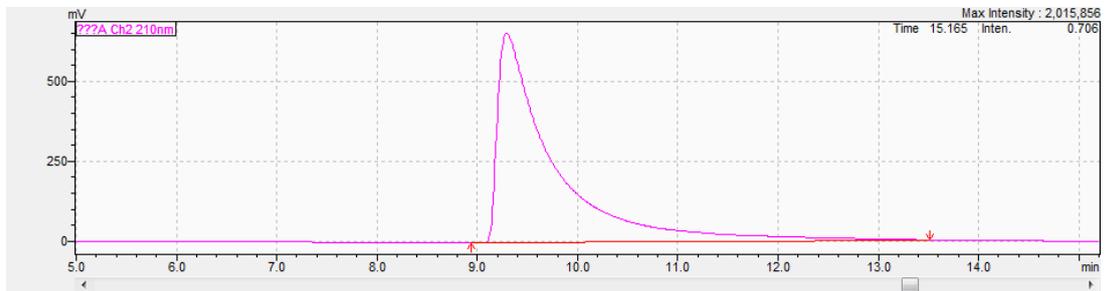


**(S)-Tolterodine**



Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	9.220	5815873	193375	50.361	50.361
2	10.339	5732603	117351	49.639	49.639
Total		11548476	310726	100.000	100.000



Results View - Peak Table

Peak#	Ret. Time	Area	Height	Conc.	Area%
1	9.295	25170914	654605	100.000	100.000
Total		25170914	654605	100.000	100.000

