

## Supporting Information

### Diastereoselective synthesis of indolenine-based spiro[pyrazolone-4,2'-pyrrolidine] scaffolds via 1,3-dipolar cycloaddition of 4-aminopyrazolones, aldehydes, and indolenines.

Shah Nawaz<sup>a,b</sup>, Shiqiang Wei<sup>a</sup>, Yue Huang<sup>a</sup>, Wenyao Wang<sup>a</sup>, Jingping Qu<sup>a</sup> and Baomin  
Wang<sup>\*a</sup>

<sup>a</sup>State Key Laboratory of Fine Chemicals, Department of Pharmaceutical Sciences, School of  
Chemical Engineering, Dalian University of Technology, Dalian 116024, P. R. China

<sup>b</sup>Department of Chemistry, Karakoram International University,  
Gilgit-Baltistan 15100, Pakistan.

E-mail: [bmwang@dlut.edu.cn](mailto:bmwang@dlut.edu.cn)

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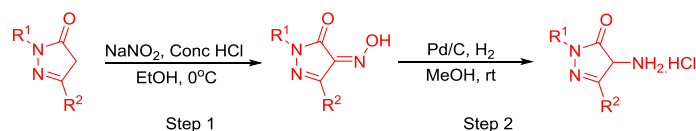
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## General information.

All reactions were carried out in Schlenk tube under a dry argon atmosphere. All solvents were purified and dried according to standard methods before use. Reactions were monitored by thin-layer chromatography (TLC) using silica gel plates. Flash chromatography was carried out utilizing silica gel 200-300 mesh.  $^1\text{H}$  NMR was recorded on a Bruker Avance II 400 MHz,  $^{13}\text{C}$  NMR spectra were recorded on a Bruker Avance II 101 MHz. The solvent used for NMR spectroscopy was  $\text{CDCl}_3$ , using tetramethylsilane as the internal reference. Data for  $^1\text{H}$  NMR are recorded as follows: chemical shift ( $\delta$ , ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet or unresolved, br = broad singlet, dd = double doublet, coupling constants in Hz, integration). Data for  $^{13}\text{C}$  NMR are reported in terms of chemical shift ( $\delta$ , ppm). HRMS (ESI) was determined by an HRMS/MS instrument (LTQ Orbitrap XL TM). Diastereomeric ratios were calculated from crude  $^1\text{H}$ -NMR. The X-ray analysis determined the structure of **4u**.

The substrate 4-aminopyrazolone chlorides **1a-1i** were synthesized according to the literature procedure.<sup>1</sup>

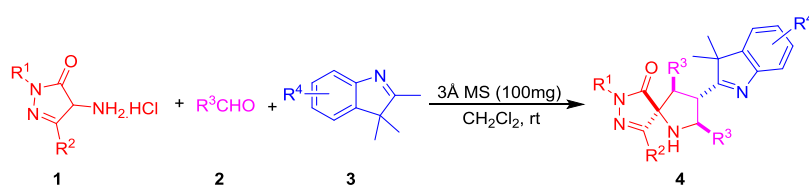
## General procedure for the preparation of 4-amino pyrazolones (**1a-1i**):



**Step 1:** A round bottom flask equipped with a magnetic stir bar was charged with pyrazolone (4.0 mmol, 1.0 equiv) and EtOH (15.0 mL). After cooling to 0°C, concentrated HCl aqueous (0.5 mL, 6 mmol, 1.5 equiv) was added dropwise. To the resulting solution was added a solution of NaNO<sub>2</sub> (0.414 g, 6.0 mmol, 1.5 equiv) in 1.5 mL of water slowly. The resulting mixture was stirred at 0°C for 0.5 h. The precipitation (water could be added if there was no precipitation) was filtrated, washed with water (15 mL) and EtOH (5.0 mL). The solid was dried under vacuum to yield the 4-hydroxyimino pyrazolone as orange or yellow solid in 85-95 % yield and used directly to the next step without further purification

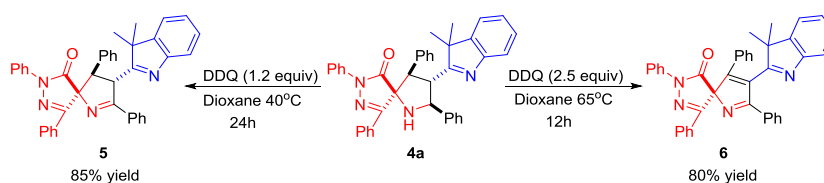
**Step 2:** A round bottom flask equipped with a magnetic stir bar was charged with 4-hydroxyimino pyrazolone (4.0 mmol, 1.0 equiv) and MeOH (40.0 mL), under argon. After the addition of (10% Pd/C) (5% w/w), the reaction mixture was exchanged with H<sub>2</sub> and stirred overnight at room temperature until the starting material's consumption. After that, 6.0 mL of concentrated HCl aqueous was added to the reaction mixture and stirred at room temperature for 0.5 h. The Pd/C was removed through celite pad, washed with MeOH. The filtrate was concentrated and dried under a vacuum. The 4-amino pyrazolone hydrochloride was washed with DCM to remove impurities, dried, and used without further purification.

## General procedure for the preparation of products 4.



A Schlenk tube equipped with a magnetic stir bar was charged with 4-aminopyrazolone **1** (0.13 mmol, 1.3 equiv), benzaldehyde **2** (0.3 mmol, 3 equiv), indolenine **3** (0.1 mmol, 1 equiv), and molecular sieves (3Å 100 mg) in 1 mL DCM under argon atmosphere at room temperature. Upon completion of the reaction (monitored by TLC), the product was purified by flash chromatography (petroleum ether/ethyl acetate = 12/1 to 15/1) to yield compounds **4a-w** as off-white or white crystalline solid.

## Procedure for synthesis of compound 5 and 6

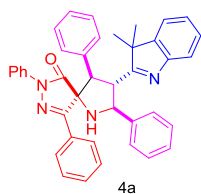


**Procedure for compound 5.** In a Schlenk tube equipped with a magnetic stir bar, spiro [pyrazolone-pyrrolidine] product **4a** (0.2 mmol, 1 equiv) and **DDQ** (0.24 mmol, 1.2 equiv) were dissolved in 2 mL Dioxane, and the reaction was stirred at 40°C for 24 h. When the reaction was completed (checked by TLC), the product was purified by column chromatography (petroleum ether/ethyl acetate = 8/1 to 10/1) to afford compound **5** as an off-white solid in 85% yield.

**Procedure for compound 6.** In a Schlenk tube equipped with a magnetic stir bar, spiro [pyrazolone-pyrrolidine] product **4a** (0.2 mmol, 1 equiv) and **DDQ** (0.5 mmol, 2.5 equiv) were added to 2 mL Dioxane and the reaction was stirred at 65°C for 12 h. When the reaction was completed (checked by TLC), the product was purified by column chromatography (petroleum ether/ethyl acetate = 8/1 to 10/1) to afford compound **6** as an off-white solid in 80% yield.

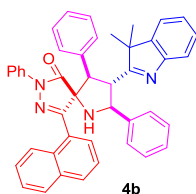
## Characterization data of compounds 4a-4w, 5 and 6

### Compound 4a



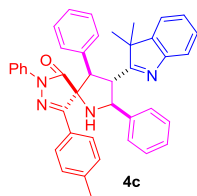
Prepared according to the procedure within 24 h as off-white solid (55.7 mg, 95% yield, dr > 20:1). mp 249.5-251 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.41 (dd, *J* = 7.8, 1.8 Hz, 2H), 7.93 (d, *J* = 7.1 Hz, 2H), 7.66-7.59 (m, 5H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.39-7.30 (m, 5H), 7.24-7.16 (m, 4H), 7.10-7.00 (m, 5H), 5.08 (d, *J* = 9.3 Hz, 1H), 4.69 (d, *J* = 12.1 Hz, 1H), 4.55 (dd, *J* = 12.2, 9.3 Hz, 1H), 2.75 (s, 1H), 0.77 (s, 3H), 0.42 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.9, 176.0, 159.6, 153.4, 145.8, 141.3, 137.4, 132.4, 130.9, 130.6, 129.2, 129.1, 129.1, 128.8, 128.7, 128.5, 128.4, 128.0, 127.4, 127.3, 125.7, 125.4, 121.1, 120.4, 119.8, 78.1, 72.1, 62.0, 54.1, 51.1, 22.7, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>40</sub>H<sub>35</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 587.2805, Found 587.2803.

### Compound 4b



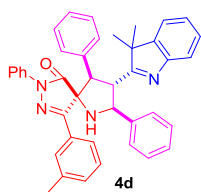
Prepared according to the procedure within 24 h as off-white solid (59.2 mg, 93% yield, dr > 20:1). mp 176-178.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 9.02 (d, *J* = 6.2 Hz, 1H), 8.42 (d, *J* = 8.5 Hz, 1H), 8.03 (d, *J* = 8.1 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 7.7 Hz, 2H), 7.60 (t, *J* = 7.7 Hz, 1H), 7.53 (t, *J* = 7.1 Hz, 1H), 7.46 (td, *J* = 7.6, 7.0, 1.4 Hz, 3H), 7.35 (t, *J* = 7.9 Hz, 2H), 7.20-7.12 (m, 6H), 7.08-6.97 (m, 5H), 6.93 (d, *J* = 4.3 Hz, 2H), 5.71 (dd, *J* = 10.0, 5.8 Hz, 1H), 5.04 (dd, *J* = 12.3, 10.0 Hz, 1H), 4.76 (d, *J* = 12.3 Hz, 1H), 3.09 (d, *J* = 6.1 Hz, 1H), 0.92 (s, 3H), 0.88 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 185.9, 175.4, 158.0, 153.4, 145.2, 141.9, 137.7, 134.5, 133.4, 131.3, 131.1, 130.1, 129.2, 129.0, 128.9, 128.6, 128.2, 128.1, 127.6, 127.6, 127.5, 127.2, 127.0, 127.0, 126.3, 125.5, 125.0, 120.8, 120.2, 119.4, 78.3, 63.4, 57.2, 54.1, 44.4, 23.7, 21.7; HRMS (ESI) *m/z* Calcd. for C<sub>44</sub>H<sub>37</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 637.2962, Found 637.2959.

### Compound 4c



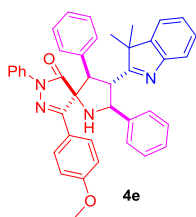
Prepared according to the procedure within 24 h as off-white solid (55.9 mg, 93% yield, dr > 20:1). mp 272.5-275 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.29 (d, *J* = 8.2 Hz, 2H), 7.91 (d, *J* = 7.2 Hz, 2H), 7.61 (d, *J* = 7.3 Hz, 1H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.36-7.25 (m, 5H), 7.21-7.12 (m, 4H), 7.07-6.97 (m, 5H), 5.06 (d, *J* = 9.3 Hz, 1H), 4.68 (d, *J* = 12.2 Hz, 1H), 4.53 (dd, *J* = 12.2, 9.4 Hz, 1H), 2.71 (s, 1H), 2.49 (s, 3H), 0.74 (s, 3H), 0.40 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.9, 176.0, 159.6, 153.4, 145.7, 141.4, 141.1, 137.4, 132.5, 129.9, 129.1, 129.0, 128.7, 128.6, 128.5, 128.3, 127.9, 127.8, 127.4, 127.2, 125.6, 125.4, 121.0, 120.3, 119.7, 78.1, 72.1, 62.1, 54.1, 51.1, 22.6, 21.8, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>41</sub>H<sub>37</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 601.2962, Found 601.2958.

### Compound 4d



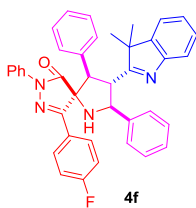
Prepared according to the procedure within 24 h as off-white solid (55.3 mg, 92% yield, dr > 20:1). mp 204-207 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.37 (d, *J* = 7.8 Hz, 1H), 8.21 (s, 1H), 8.00 (d, *J* = 7.4 Hz, 2H), 7.70 (d, *J* = 8.0 Hz, 2H), 7.62-7.57 (m, 2H), 7.48-7.33 (m, 6H), 7.30-7.21 (m, 4H), 7.15-7.05 (m, 5H), 5.14 (d, *J* = 9.1 Hz, 1H), 4.78 (d, *J* = 12.1 Hz, 1H), 4.61 (dd, *J* = 12.1, 9.3 Hz, 1H), 2.81 (s, 1H), 2.59 (s, 3H), 0.83 (s, 3H), 0.49 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.8, 176.0, 159.8, 153.4, 145.7, 141.4, 138.7, 137.4, 132.5, 131.7, 130.5, 129.1, 129.1, 129.0, 128.7, 128.6, 128.4, 128.3, 127.9, 127.6, 127.3, 125.6, 125.3, 124.6, 121.0, 120.4, 119.7, 78.1, 72.1, 62.1, 54.0, 51.2, 22.6, 21.8, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>41</sub>H<sub>37</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 601.2962, Found 601.2962.

### Compound 4e



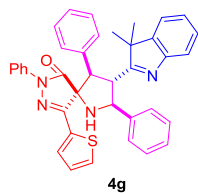
Prepared according to the procedure within 23 h as off-white solid (57.4 mg, 93% yield, dr > 20:1). mp 256-259 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.37 (d, *J* = 8.8 Hz, 2H), 7.93 (d, *J* = 7.2 Hz, 2H), 7.63 (d, *J* = 8.0 Hz, 2H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.38-7.30 (m, 5H), 7.24-7.15 (m, 6H), 7.10-7.00 (m, 5H), 5.07 (d, *J* = 9.3 Hz, 1H), 4.68 (d, *J* = 12.2 Hz, 1H), 4.54 (dd, *J* = 12.2, 9.3 Hz, 1H), 3.97 (s, 3H), 2.74 (s, 1H), 0.76 (s, 3H), 0.42 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 188.0, 175.9, 161.7, 159.3, 153.5, 145.8, 141.4, 137.5, 132.5, 129.1, 129.0, 129.0, 128.7, 128.7, 128.5, 128.3, 127.9, 127.4, 125.6, 125.3, 123.2, 121.1, 120.4, 119.7, 114.6, 78.1, 72.1, 62.3, 55.6, 54.1, 51.1, 22.7, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>41</sub>H<sub>37</sub>N<sub>4</sub>O<sub>2</sub><sup>+</sup> ([M+H]<sup>+</sup>) 617.2911, Found 617.2907.

### Compound 4f



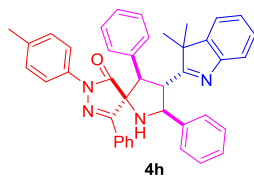
Prepared according to the procedure within 24 h as off-white solid (50.2 mg, 83% yield, dr > 20:1). mp 284-287 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.41 (dd, *J* = 8.5, 5.5 Hz, 2H), 7.91 (d, *J* = 7.4 Hz, 2H), 7.60 (d, *J* = 8.1 Hz, 2H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.36-7.26 (m, 7H), 7.22-7.13 (m, 4H), 7.04 (q, *J* = 7.8 Hz, 4H), 6.99 (d, *J* = 7.5 Hz, 1H), 5.03 (d, *J* = 9.1 Hz, 1H), 4.62 (d, *J* = 12.1 Hz, 1H), 4.53 (dd, *J* = 12.2, 9.1 Hz, 1H), 2.70 (s, 1H), 0.73 (s, 3H), 0.40 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.8, 175.9, 164.4 (d, *J* = 251.8 Hz), 158.7, 153.4, 145.7, 141.2, 137.3, 132.3, 129.5 (d, *J* = 8.1 Hz), 129.1, 128.8, 128.7, 128.5, 128.4, 128.0, 127.4, 126.9 (d, *J* = 3.0 Hz), 125.8, 125.4, 121.0, 120.4, 119.7, 116.4 (d, *J* = 21.2 Hz), 78.0, 72.1, 62.2, 54.1, 51.0, 22.6, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>40</sub>H<sub>34</sub>FN<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 605.2711, Found 605.2707.

### Compound 4g



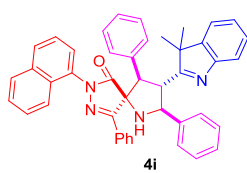
Prepared according to the procedure within 25 h as off-white solid (44.4 mg, 75% yield, dr > 20:1). mp 238-241 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.20 (d, *J* = 2.6 Hz, 1H), 7.89 (d, *J* = 7.0 Hz, 2H), 7.58-7.52 (m, 4H), 7.36-7.19 (m, 9H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.09-6.99 (m, 5H), 5.07 (d, *J* = 9.3 Hz, 1H), 4.76 (d, *J* = 12.2 Hz, 1H), 4.52 (dd, *J* = 12.2, 9.4 Hz, 1H), 2.70 (s, 1H), 0.77 (s, 3H), 0.41 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.8, 175.4, 156.5, 153.4, 145.8, 141.4, 137.2, 132.6, 132.3, 129.3, 129.2, 129.1, 129.0, 128.8, 128.7, 128.4, 128.4, 128.0, 127.4, 125.7, 125.4, 121.1, 120.4, 119.8, 77.9, 72.1, 62.7, 54.1, 51.2, 22.7, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>38</sub>H<sub>33</sub>N<sub>4</sub>OS<sup>+</sup> ([M+H]<sup>+</sup>) 593.2370, Found 593.2369.

### Compound 4h



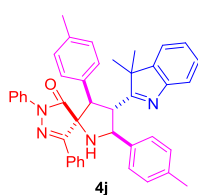
Prepared according to the procedure within 23 h as off-white solid (56.6 mg, 94% yield, dr > 20:1). mp 272-274 °C; <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.39 (d, *J* = 7.2 Hz, 2H), 7.91 (d, *J* = 7.4 Hz, 2H), 7.60 (d, *J* = 7.8 Hz, 3H), 7.48 (dd, *J* = 13.6, 7.9 Hz, 3H), 7.36-6.98 (m, 13H), 5.05 (d, *J* = 9.3 Hz, 1H), 4.66 (d, *J* = 12.1 Hz, 1H), 4.53 (dd, *J* = 12.2, 9.3 Hz, 1H), 2.74 (s, 1H), 2.31 (s, 3H), 0.74 (s, 3H), 0.40 (s, 3H); <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 187.9, 175.8, 159.4, 153.4, 145.7, 141.4, 135.5, 135.0, 132.5, 130.8, 130.7, 129.3, 129.2, 129.0, 128.7, 128.5, 128.3, 128.0, 127.4, 127.3, 126.2, 125.4, 121.0, 120.4, 119.8, 78.0, 72.1, 62.0, 54.1, 51.1, 22.6, 21.1, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>41</sub>H<sub>37</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 601.2962, Found 601.2966.

### Compound 4i



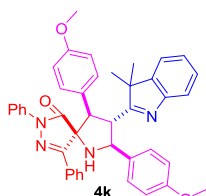
Prepared according to the procedure within 23 h as off-white solid (59.3 mg, 93% yield, dr > 20:1). mp 173-175.5 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 8.44 (d, *J* = 7.2 Hz, 2H), 8.14 (s, 1H), 7.93 (d, *J* = 7.4 Hz, 2H), 7.83-7.75 (m, 4H), 7.63-7.55 (m, 3H), 7.51 (d, *J* = 7.8 Hz, 1H), 7.46-7.33 (m, 4H), 7.29-7.17 (m, 4H), 7.05-6.91 (m, 5H), 5.09 (d, *J* = 9.3 Hz, 1H), 4.71 (d, *J* = 12.1 Hz, 1H), 4.56 (dd, *J* = 12.0, 9.5 Hz, 1H), 2.77 (s, 1H), 0.75 (s, 3H), 0.41 (s, 3H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) δ 187.84, 176.16, 159.68, 153.40, 145.72, 141.30, 135.05, 133.43, 132.42, 131.34, 130.92, 130.58, 129.22, 129.12, 129.05, 128.70, 128.62, 128.46, 128.37, 128.07, 127.97, 127.71, 127.37, 126.53, 125.58, 125.38, 121.02, 120.36, 118.85, 116.86, 78.25, 77.36, 72.10, 62.19, 54.06, 51.06, 22.65, 21.00; HRMS (ESI) *m/z* Calcd. for C<sub>44</sub>H<sub>37</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 637.2962, Found 637.2960.

### Compound 4j



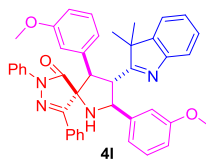
Prepared according to the procedure within 24 h as off-white solid (55.3 mg, 90% yield, dr > 20:1). mp 274-276 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.39 (d, *J* = 7.5 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.64-7.54 (m, 5H), 7.48 (d, *J* = 7.3 Hz, 1H), 7.34 (t, *J* = 7.9 Hz, 2H), 7.21-7.12 (m, 4H), 7.08-6.97 (m, 4H), 6.83 (d, *J* = 7.7 Hz, 2H), 5.01 (d, *J* = 9.3 Hz, 1H), 4.64 (d, *J* = 12.3 Hz, 1H), 4.50 (dd, *J* = 12.1, 9.3 Hz, 1H), 2.66 (s, 1H), 2.30 (s, 3H), 2.06 (s, 3H), 0.75 (s, 3H), 0.43 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 188.0, 176.1, 159.7, 153.5, 145.7, 138.2, 137.8, 137.4, 130.7, 130.6, 129.6, 129.3, 129.1, 128.9, 128.8, 128.7, 128.6, 128.3, 127.3, 125.6, 125.2, 120.9, 120.3, 119.8, 77.9, 71.7, 61.7, 54.0, 50.9, 22.6, 21.3, 21.1, 21.0; HRMS (ESI) *m/z* Calcd. for C<sub>42</sub>H<sub>39</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 615.3118, Found 615.3117.

### Compound 4k



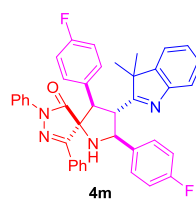
Prepared according to the procedure within 24 h as off-white solid (53.7 mg, 83% yield, dr > 20:1). mp 279-282 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.41 (d, *J* = 7.7 Hz, 2H), 7.84 (d, *J* = 8.3 Hz, 2H), 7.68-7.57 (m, 5H), 7.51 (d, *J* = 7.7 Hz, 1H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.23-7.17 (m, 2H), 7.14-7.01 (m, 4H), 6.89 (d, *J* = 8.2 Hz, 2H), 6.59 (d, *J* = 8.3 Hz, 2H), 5.02 (d, *J* = 9.3 Hz, 1H), 4.62 (d, *J* = 12.1 Hz, 1H), 4.46 (dd, *J* = 12.2, 9.4 Hz, 1H), 3.78 (s, 3H), 3.59 (s, 3H), 2.65 (s, 1H), 0.77 (s, 3H), 0.48 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 188.2, 176.3, 159.8, 159.8, 159.5, 153.5, 145.8, 137.5, 133.5, 130.8, 130.7, 130.2, 129.6, 129.2, 128.8, 127.4, 127.3, 125.7, 125.3, 124.5, 121.0, 120.3, 119.8, 114.3, 113.4, 78.0, 71.4, 61.4, 55.4, 55.1, 54.2, 51.1, 22.7, 21.3; HRMS (ESI) *m/z* Calcd. for C<sub>42</sub>H<sub>39</sub>N<sub>4</sub>O<sub>3</sub><sup>+</sup> ([M+H]<sup>+</sup>) 647.3017, Found 647.3017.

### Compound 4l



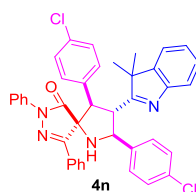
Prepared according to the procedure within 24 h as off-white solid (53.0 mg, 82% yield, dr > 20:1). mp 184 -187 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.38 (d, *J* = 7.4 Hz, 2H), 7.66-7.49 (m, 8H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.28-7.14 (m, 3H), 7.07 (t, *J* = 7.3 Hz, 1H), 7.02-6.94 (m, 2H), 6.82 (t, *J* = 7.9 Hz, 2H), 6.68 (s, 1H), 6.56 (d, *J* = 8.2 Hz, 1H), 5.01 (d, *J* = 9.1 Hz, 1H), 4.61 (d, *J* = 12.1 Hz, 1H), 4.52 (dd, *J* = 12.1, 9.2 Hz, 1H), 3.81 (s, 3H), 3.56 (s, 3H), 2.72 (s, 1H), 0.79 (s, 3H), 0.49 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.8, 176.0, 160.2, 159.5, 159.2, 153.5, 145.8, 143.0, 137.5, 134.0, 130.9, 130.7, 130.1, 129.2, 128.9, 128.8, 127.4, 127.3, 125.6, 125.4, 121.5, 121.1, 120.7, 120.4, 119.7, 114.7, 114.3, 114.2, 113.9, 77.9, 72.0, 62.1, 55.5, 55.2, 54.1, 50.9, 22.7, 21.1; HRMS (ESI) *m/z* Calcd. for C<sub>42</sub>H<sub>39</sub>N<sub>4</sub>O<sub>3</sub><sup>+</sup> ([M+H]<sup>+</sup>) 647.3017, Found 647.3014.

### Compound 4m



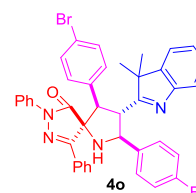
Prepared according to the procedure within 23 h as off-white solid (52.9 mg, 85% yield, dr > 20:1). mp 256-259 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.37 (d,  $J = 7.5$  Hz, 2H), 7.89 (dd,  $J = 8.4, 5.3$  Hz, 2H), 7.65 (d,  $J = 8.1$  Hz, 2H), 7.62-7.54 (m, 3H), 7.49 (d,  $J = 7.7$  Hz, 1H), 7.35 (t,  $J = 7.8$  Hz, 2H), 7.22-7.14 (m, 4H), 7.08-7.00 (m, 4H), 6.74 (t,  $J = 8.5$  Hz, 2H), 5.05 (dd,  $J = 9.5, 4.8$  Hz, 1H), 4.66 (d,  $J = 12.1$  Hz, 1H), 4.44 (dd,  $J = 12.1, 9.3$  Hz, 1H), 2.62 (d,  $J = 5.3$  Hz, 1H), 0.74 (s, 3H), 0.44 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  187.4, 175.9, 164.0 (d,  $J = 27.3$  Hz), 161.6 (d,  $J = 27.3$  Hz), 159.3, 153.3, 145.5, 137.3, 137.2 (d,  $J = 3.0$  Hz), 131.0, 130.8 (d,  $J = 8.1$  Hz), 130.3, 130.2 (d,  $J = 8.1$  Hz) 129.2, 128.9, 128.2 (d,  $J = 3.0$  Hz), 127.5, 127.2, 125.8, 125.6, 121.1, 120.4, 119.5, 115.9 (d,  $J = 21.5$  Hz), 115.0 (d,  $J = 21.4$  Hz), 77.8, 71.1, 61.0, 54.0, 51.1, 22.6, 21.2; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{40}\text{H}_{33}\text{F}_2\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 623.2617, Found 623.2611.

### Compound 4n



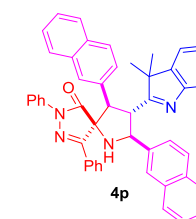
Prepared according to the procedure within 24 h as off-white solid (52.4 mg, 80% yield, dr > 20:1). mp 299-301 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.35 (dd,  $J = 7.3, 2.3$  Hz, 2H), 7.86 (d,  $J = 8.1$  Hz, 2H), 7.62 (t,  $J = 6.9$  Hz, 5H), 7.49 (d,  $J = 7.8$  Hz, 1H), 7.40-7.32 (m, 4H), 7.24-7.18 (m, 2H), 7.13-7.01 (m, 6H), 5.03 (d,  $J = 9.3$  Hz, 1H), 4.64 (d,  $J = 12.1$  Hz, 1H), 4.44 (dd,  $J = 12.1, 9.3$  Hz, 1H), 2.64 (s, 1H), 0.74 (s, 3H), 0.46 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  187.1, 175.8, 159.2, 153.3, 145.5, 139.9, 137.3, 134.5, 134.4, 131.1, 131.0, 130.5, 130.3, 129.9, 129.3, 129.3, 129.0, 128.3, 127.5, 127.2, 126.0, 125.7, 121.1, 120.5, 119.7, 77.7, 71.1, 61.2, 54.0, 50.9, 22.7, 21.3; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{40}\text{H}_{33}\text{Cl}_2\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 655.2026, Found 655.2023.

### Compound 4o



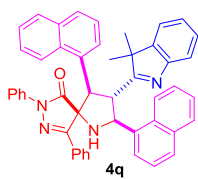
Prepared according to the procedure within 24 h as off-white solid (59.6 mg, 80% yield, dr > 20:1). mp 298-300 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.35 (dd,  $J = 7.6, 2.2$  Hz, 2H), 7.80 (d,  $J = 8.4$  Hz, 2H), 7.64-7.59 (m, 5H), 7.48 (d,  $J = 8.4$  Hz, 3H), 7.38 (t,  $J = 7.9$  Hz, 2H), 7.24-7.18 (m, 4H), 7.11-7.01 (m, 4H), 5.02 (d,  $J = 9.3$  Hz, 1H), 4.63 (d,  $J = 12.1$  Hz, 1H), 4.44 (dd,  $J = 12.2, 9.3$  Hz, 1H), 2.64 (s, 1H), 0.74 (s, 3H), 0.46 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  187.1, 175.8, 159.2, 153.2, 145.5, 140.4, 137.3, 132.2, 131.5, 131.3, 131.1, 130.8, 130.3, 129.3, 129.0, 127.5, 127.2, 126.0, 125.7, 122.7, 121.1, 120.5, 119.7, 77.6, 71.2, 61.2, 54.0, 50.8, 22.7, 21.3; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{40}\text{H}_{33}\text{Br}_2\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 745.0995, Found 745.0995.

### Compound 4p



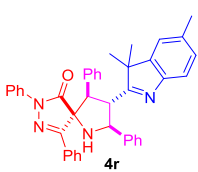
Prepared according to the procedure within 24 h as off-white solid (61.8 mg, 90% yield, dr > 20:1). mp 271-273.5 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.45-8.41, (m, 3H), 8.09 (s, 1H), 7.94 (d,  $J = 8.5$  Hz, 1H), 7.81-7.75 (m, 2H), 7.66-7.55 (m, 9H), 7.53-7.48 (m, 2H), 7.44-7.39 (m, 2H), 7.31-7.23 (m, 4H), 7.17-7.13 (m, 1H), 7.07 (t,  $J = 7.4$  Hz, 1H), 6.97 (t,  $J = 7.6$  Hz, 1H), 6.86 (d,  $J = 7.7$  Hz, 1H), 5.30 (dd,  $J = 9.2, 6.0$  Hz, 1H), 4.92 (d,  $J = 12.1$  Hz, 1H), 4.81 (dd,  $J = 12.1, 9.3$  Hz, 1H), 2.84 (d,  $J = 6.2$  Hz, 1H), 0.67 (s, 3H), 0.30 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  187.8, 176.1, 159.6, 153.4, 145.6, 138.3, 137.2, 133.5, 133.3, 133.1, 132.9, 130.9, 130.7, 130.0, 129.3, 129.2, 129.0, 128.7, 128.1, 128.1, 127.8, 127.8, 127.6, 127.5, 127.4, 126.3, 126.3, 126.1, 125.7, 125.5, 125.4, 121.0, 120.3, 119.8, 78.0, 72.2, 62.2, 54.1, 50.8, 22.7, 21.2; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{48}\text{H}_{39}\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 687.3118, Found 687.3116.

### Compound 4q



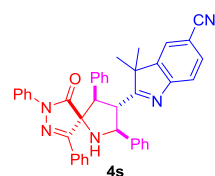
Prepared according to the procedure within 24 h as off-white solid (63.9 mg, 93% yield, dr > 20:1). mp 280.5-283 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  9.02 (s, 1H), 8.47 (dd,  $J = 6.7, 2.9$  Hz, 2H), 8.20 (d,  $J = 7.3$  Hz, 1H), 7.91 (t,  $J = 8.3$  Hz, 2H), 7.81-7.59 (m, 9H), 7.55-7.33 (m, 8H), 7.26-7.11 (m, 3H), 7.02 (t,  $J = 7.3$  Hz, 1H), 6.89 (d,  $J = 7.3$  Hz, 1H), 6.39 (s, 1H), 6.04 (d,  $J = 11.8$  Hz, 1H), 4.99 (t,  $J = 10.5$  Hz, 1H), 3.11 (s, 1H), 0.71 (s, 3H), 0.18 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  187.8, 176.1, 159.6, 153.4, 145.6, 138.3, 137.2, 133.5, 133.3, 133.1, 132.9, 130.9, 130.7, 130.0, 129.3, 129.2, 129.0, 128.7, 128.1, 128.1, 127.8, 127.8, 127.6, 127.5, 127.4, 126.3, 126.3, 126.1, 125.7, 125.5, 125.4, 121.0, 120.3, 119.8, 78.0, 72.2, 62.2, 54.1, 50.8, 22.7, 21.2; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{48}\text{H}_{39}\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 687.3118, Found 687.3117.

### Compound 4r



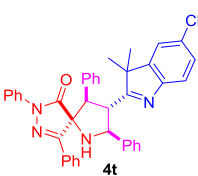
Prepared according to the procedure within 24 h as off-white solid (56.5 mg, 94% yield, dr > 20:1). mp 230-233 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.39 (d,  $J = 7.2$  Hz, 2H), 7.91 (d,  $J = 7.4$  Hz, 2H), 7.62-7.55 (m, 5H), 7.39-7.25 (m, 6H), 7.20-7.13 (m, 3H), 7.06-6.99 (m, 4H), 6.80 (s, 1H), 5.05 (d,  $J = 9.1$  Hz, 1H), 4.66 (d,  $J = 12.1$  Hz, 1H), 4.51 (dd,  $J = 12.2, 9.4$  Hz, 1H), 2.72 (s, 1H), 2.26 (s, 3H), 0.72 (s, 3H), 0.39 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  186.8, 176.1, 159.6, 151.3, 145.9, 141.4, 137.4, 135.2, 132.5, 130.8, 130.6, 129.2, 129.1, 129.0, 128.8, 128.6, 128.5, 128.3, 127.9, 127.3, 125.7, 121.8, 119.9, 119.8, 78.1, 72.0, 62.1, 53.9, 51.0, 22.7, 21.4, 21.1; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{41}\text{H}_{37}\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 601.2962, Found 601.2961.

### Compound 4s



Prepared according to the procedure within 24 h as off-white solid (56.3 mg, 92% yield, dr > 20:1). mp 274-276.5 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.39 (d,  $J = 6.3$  Hz, 2H), 7.91 (d,  $J = 7.4$  Hz, 2H), 7.66-7.52 (m, 8H), 7.40-7.30 (m, 5H), 7.19 (d,  $J = 7.2$  Hz, 3H), 7.08 (d,  $J = 6.6$  Hz, 3H), 5.04 (d,  $J = 9.0$  Hz, 1H), 4.66 (d,  $J = 12.1$  Hz, 1H), 4.56 (dd,  $J = 12.1, 9.2$  Hz, 1H), 2.77 (s, 1H), 0.76 (s, 3H), 0.42 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  192.5, 175.8, 159.3, 156.9, 146.6, 140.9, 137.3, 132.4, 132.1, 130.9, 130.5, 129.2, 129.2, 129.0, 128.9, 128.8, 128.6, 128.3, 128.1, 127.2, 125.8, 124.8, 121.1, 119.7, 119.3, 108.7, 78.0, 72.3, 62.1, 54.7, 51.5, 22.3, 20.5; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{41}\text{H}_{34}\text{N}_5\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 612.2758, Found 612.2756.

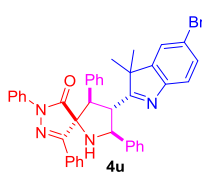
### Compound 4t



Prepared according to the procedure within 24 h as off-white solid (59.0 mg, 95% yield, dr > 20:1). mp 256.5-259 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.08 (d,  $J = 7.4$  Hz, 2H), 7.59 (d,  $J = 7.6$  Hz, 2H), 7.33 (d,  $J = 8.1$  Hz, 2H), 7.28-7.20 (m, 3H), 7.09-6.93 (m, 6H), 6.88-6.80 (m, 4H), 6.74-6.66 (m, 3H), 6.63 (s, 1H), 4.73 (t,  $J = 8$ , Hz, 1H), 4.35 (d,  $J = 12.1$  Hz, 1H), 4.19 (dd,  $J = 12.2, 9.3$  Hz, 1H), 2.40 (d,  $J = 6.8$  Hz, 1H), 0.40 (s, 3H), 0.07 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  188.3, 175.8, 159.4, 151.9, 147.5, 141.2, 137.4, 132.3, 131.2, 130.8, 130.5, 129.1, 129.0, 128.7, 128.4, 128.3, 128.0, 127.5, 127.2, 125.6, 121.6, 121.2, 119.6, 77.9, 72.0, 62.0, 54.4, 51.2, 22.5, 20.7; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{40}\text{H}_{34}\text{ClN}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 621.2416, Found 621.2414.

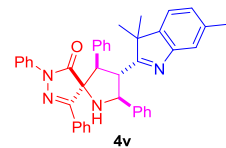


### Compound 4u



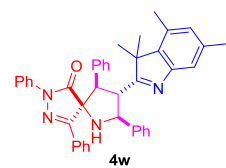
Prepared according to the procedure within 24 h as off-white solid (63.2 mg, 95% yield, dr > 20:1). mp 250-251.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.38 (d, *J* = 6.1 Hz, 2H), 7.90 (d, *J* = 7.5 Hz, 2H), 7.63-7.54 (m, 5H), 7.39-7.26 (m, 7H), 7.19-7.00 (m, 7H), 5.03 (d, *J* = 9.3 Hz, 1H), 4.64 (d, *J* = 12.2 Hz, 1H), 4.49 (dd, *J* = 12.2, 9.3 Hz, 1H), 2.74 (s, 1H), 0.71 (s, 3H), 0.39 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 188.4, 175.9, 159.5, 152.4, 147.9, 141.2, 137.4, 132.3, 130.9, 130.6, 130.5, 129.2, 129.1, 129.1, 128.8, 128.4, 128.4, 128.0, 127.3, 125.7, 124.6, 121.7, 119.7, 119.2, 78.0, 72.1, 62.0, 54.6, 51.2, 22.5, 20.8; HRMS (ESI) *m/z* Calcd. for C<sub>40</sub>H<sub>34</sub>BrN<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 665.1911, Found 665.1911.

### Compound 4v



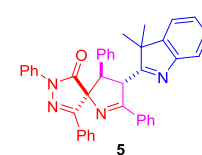
Prepared according to the procedure within 24 h as off-white solid (55.9 mg, 93% yield, dr > 20:1). mp 256-258 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.39 (dd, *J* = 8.0, 1.7 Hz, 2H), 7.91 (d, *J* = 7.0 Hz, 2H), 7.62-7.55 (m, 5H), 7.35-7.25 (m, 6H), 7.20-7.13 (m, 3H), 7.06-6.98 (m, 3H), 6.87 (s, 1H), 5.05 (dd, *J* = 9.5, 3.8 Hz, 1H), 4.67 (d, *J* = 12.1 Hz, 1H), 4.52 (dd, *J* = 12.1, 9.4 Hz, 1H), 2.73 (s, 1H), 2.31 (s, 3H), 0.73 (s, 3H), 0.39 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 188.1, 176.1, 159.6, 153.7, 142.8, 141.3, 137.4, 137.3, 132.5, 130.9, 130.6, 129.2, 129.1, 129.0, 128.8, 128.7, 128.5, 128.3, 128.0, 127.3, 126.0, 125.7, 121.1, 120.7, 119.8, 78.1, 72.1, 62.1, 53.8, 51.1, 22.8, 21.5, 21.1; HRMS (ESI) *m/z* Calcd. for C<sub>41</sub>H<sub>37</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 601.2962, Found 601.2961.

### Compound 4w



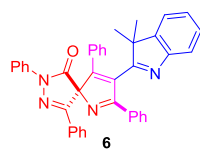
Prepared according to the procedure within 24 h as off-white solid (57.8 mg, 94% yield, dr > 20:1). mp 260-263 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.38 (d, *J* = 7.9 Hz, 2H), 7.91 (d, *J* = 7.4 Hz, 2H), 7.64-7.55 (m, 5H), 7.34-7.24 (m, 5H), 7.19-7.12 (m, 4H), 7.06-6.97 (m, 3H), 6.63 (s, 1H), 5.05 (t, *J* = 7.8 Hz, 1H), 4.66 (d, *J* = 12.1 Hz, 1H), 4.48 (dd, *J* = 12.1, 9.3 Hz, 1H), 2.72 (d, *J* = 6.4 Hz, 1H), 2.26 (s, 3H), 2.14 (s, 3H), 0.78 (s, 3H), 0.48 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 187.8, 176.1, 159.6, 154.1, 141.4, 139.5, 137.4, 137.3, 132.5, 132.2, 130.8, 130.6, 129.3, 129.2, 129.0, 128.8, 128.6, 128.5, 128.3, 128.3, 127.9, 127.3, 125.6, 119.7, 118.9, 78.0, 72.2, 62.3, 54.5, 50.9, 21.2, 20.1, 18.5, 17.6; HRMS (ESI) *m/z* Calcd. for C<sub>42</sub>H<sub>39</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 615.3118, Found 615.3115.

### Compound 5



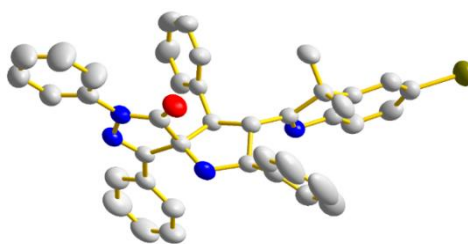
Prepared according to the procedure within 24 h as off-white solid (49.7 mg, 85% yield, dr > 20:1). mp 299-302 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.25-8.22 (m, 2H), 7.83-7.80 (m, 2H), 7.58-7.49 (m, 6H), 7.39-7.22 (m, 8H), 7.19-7.10 (m, 6H), 5.51 (d, *J* = 10.2 Hz, 1H), 4.50 (d, *J* = 10.2 Hz, 1H), 1.40 (s, 3H), 0.65 (s, 3H); <sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>) δ 189.3, 180.4, 170.5, 157.2, 153.4, 145.4, 137.4, 134.6, 133.5, 130.8, 130.0, 129.1, 128.7, 128.7, 128.5, 128.4, 128.3, 127.7, 127.6, 125.9, 125.6, 121.1, 121.1, 119.8, 89.4, 62.8, 54.5, 54.1, 23.4, 22.8; HRMS (ESI) *m/z* Calcd. for C<sub>40</sub>H<sub>33</sub>N<sub>4</sub>O<sup>+</sup> ([M+H]<sup>+</sup>) 585.2649, Found 585.2641.

## Compound 6



Prepared according to the procedure within 12 h as off-white solid (46.6 mg, 80% yield, dr > 20:1). mp 301.5-305 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.73 (t,  $J = 7.5$  Hz, 3H), 7.65 (dd,  $J = 6.7, 2.9$  Hz, 2H), 7.45 (t,  $J = 7.7$  Hz, 2H), 7.37-7.25 (m, 7H), 7.22 (d,  $J = 7.6$  Hz, 2H), 7.15 (q,  $J = 7.3$  Hz, 2H), 7.02 (q,  $J = 8.9, 7.0$  Hz, 5H), 6.94 (t,  $J = 7.3$  Hz, 1H), 6.85 (t,  $J = 7.6$  Hz, 2H), 0.47 (s, 6H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  181.9, 153.3, 145.6, 144.5, 143.8, 141.3, 133.7, 133.0, 132.8, 131.9, 131.2, 131.0, 129.0, 128.9, 128.7, 128.3, 127.7, 127.6, 127.5, 127.3, 126.9, 126.4, 126.3, 126.1, 126.0, 121.3, 121.1, 56.8, 29.8, 22.4; HRMS (ESI)  $m/z$  Calcd. for  $\text{C}_{40}\text{H}_{31}\text{N}_4\text{O}^+$  ( $[\text{M}+\text{H}]^+$ ) 583.2492, Found 583.2485.

## X-ray structure of 4u

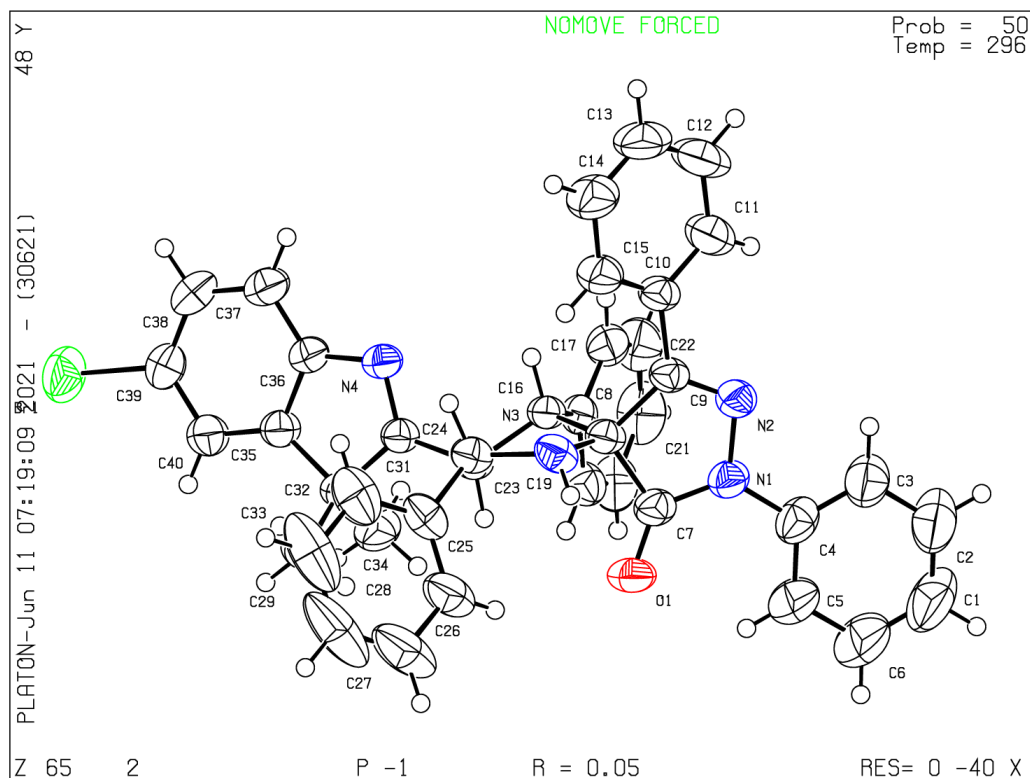


X-ray structure of 4u

## Crystal data for 4u

Empirical formula	C <sub>40</sub> H <sub>33</sub> Br N <sub>4</sub> O
Sum formula	C <sub>40</sub> H <sub>33</sub> Br N <sub>4</sub> O
MW	665.61
Temperature:	296 K
Space group P -1	
Bond precision:	C-C = 0.0051 Å
Wavelength	0.71073
Cell:	
a (Å)	12.2987(7)
b (Å)	12.4224(7)
c (Å)	13.2018(8)
$\alpha$ (°)	75.801(2) beta
$\beta$ (°)	69.663(2) gamma
$\gamma$ (°)	66.425(1)
Volume	1720.08(18)
Hall group	-P 1
D <sub>calcd</sub> (g cm <sup>-3</sup> )	1.285
Z	2
$\mu$ (mm <sup>-1</sup> )	1.232
F(000)	688.0
h,k,lmax	15,16,17
N <sub>ref</sub>	7943 7890
T <sub>min</sub> ,T <sub>max</sub>	0.577,0.746

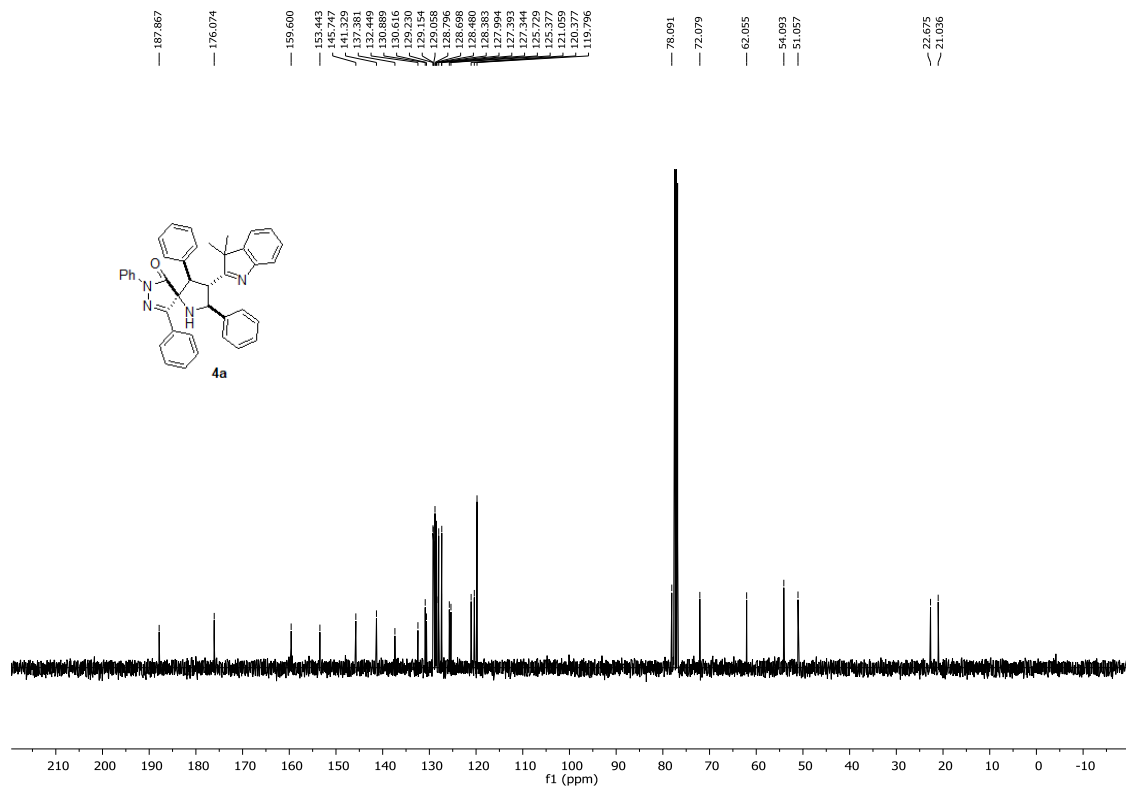
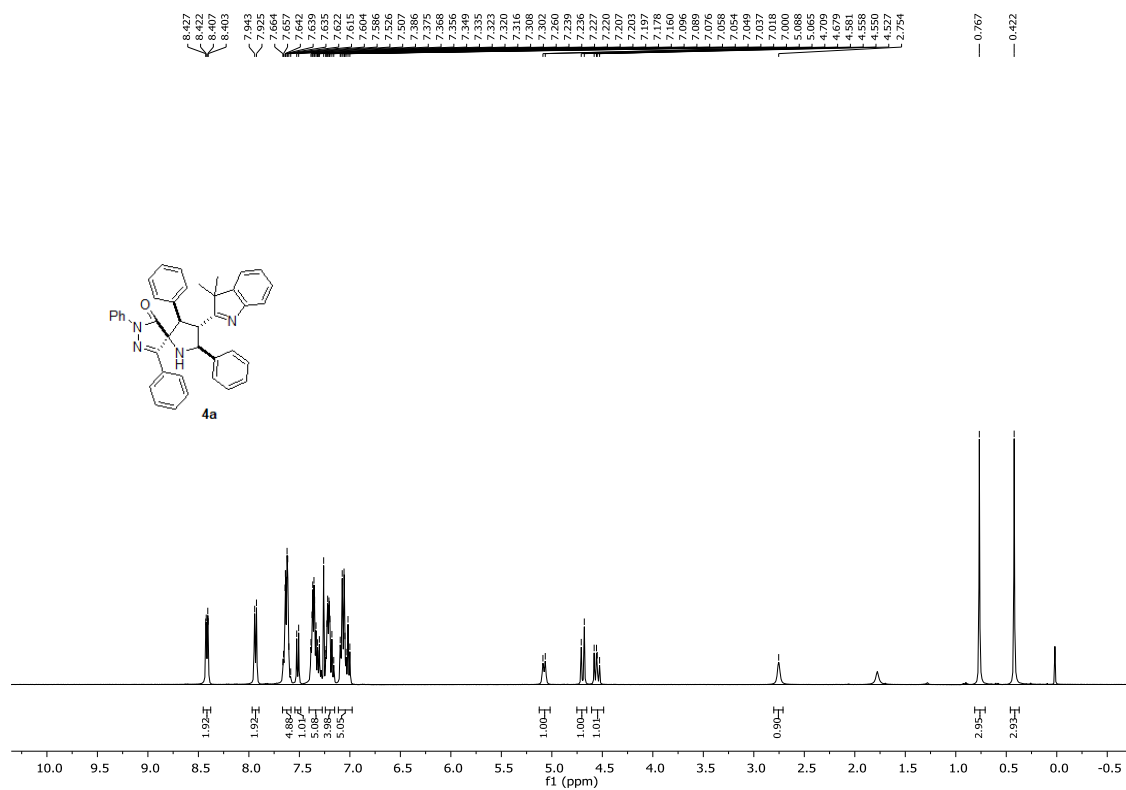
Tmin' 0.906  
Correction method:  
Reported T Limits: Tmin = 0.577 Tmax = 0.746  
AbsCorr = NONE  
Data completeness = 0.993  $\gamma$  (max) = 27.550  
R(reflections) = 0.0494( 5061) wR2 (reflections) = 0.1582( 7890)  
S = 1.029 Npar = 421

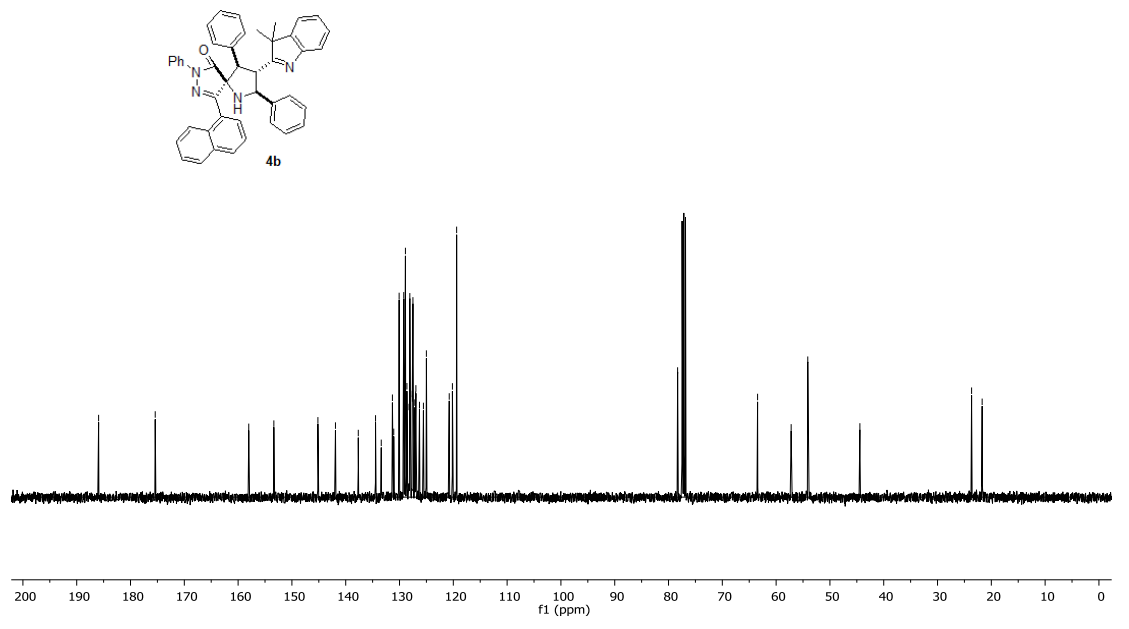
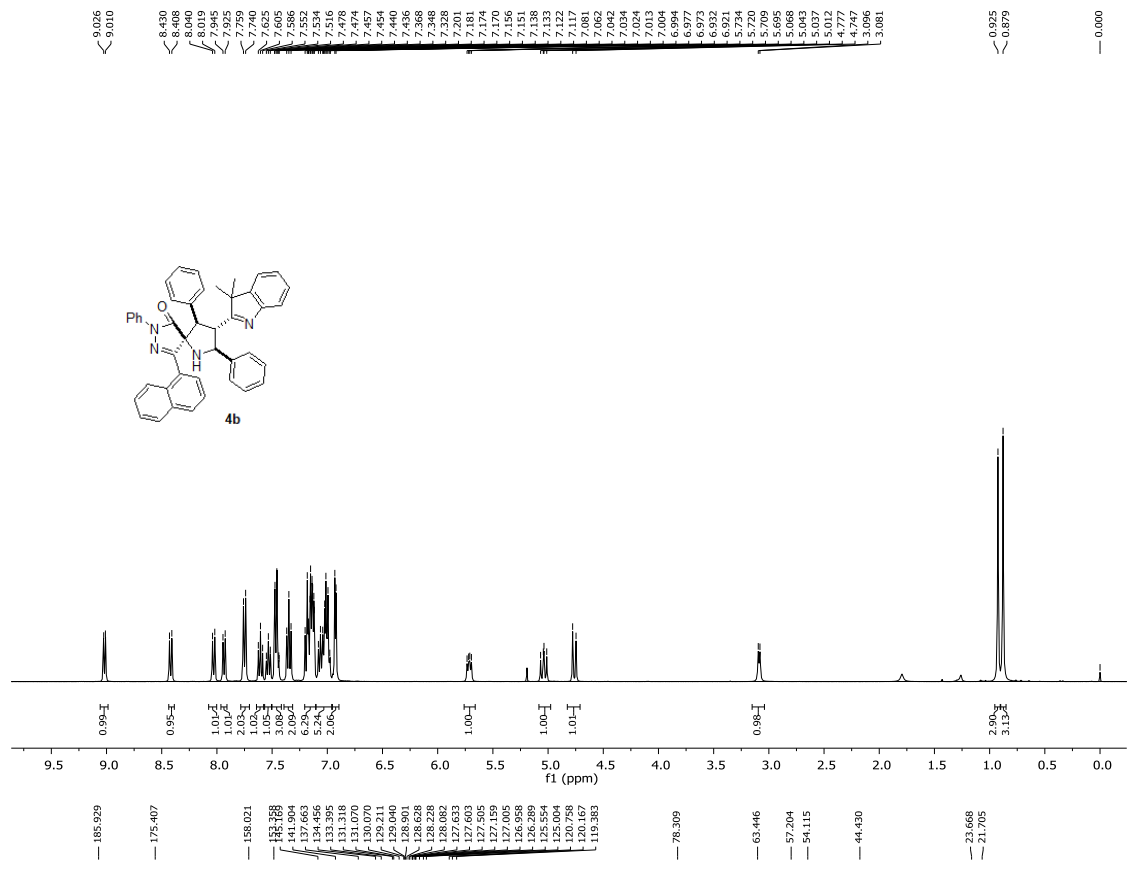


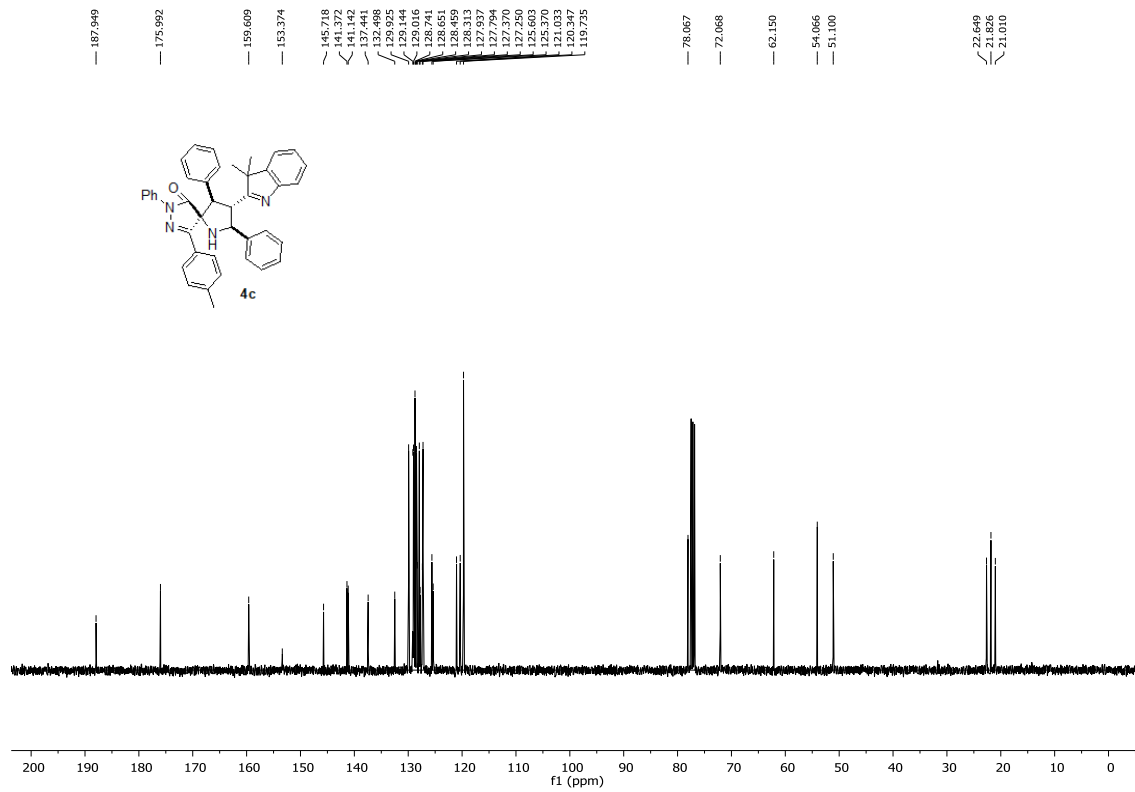
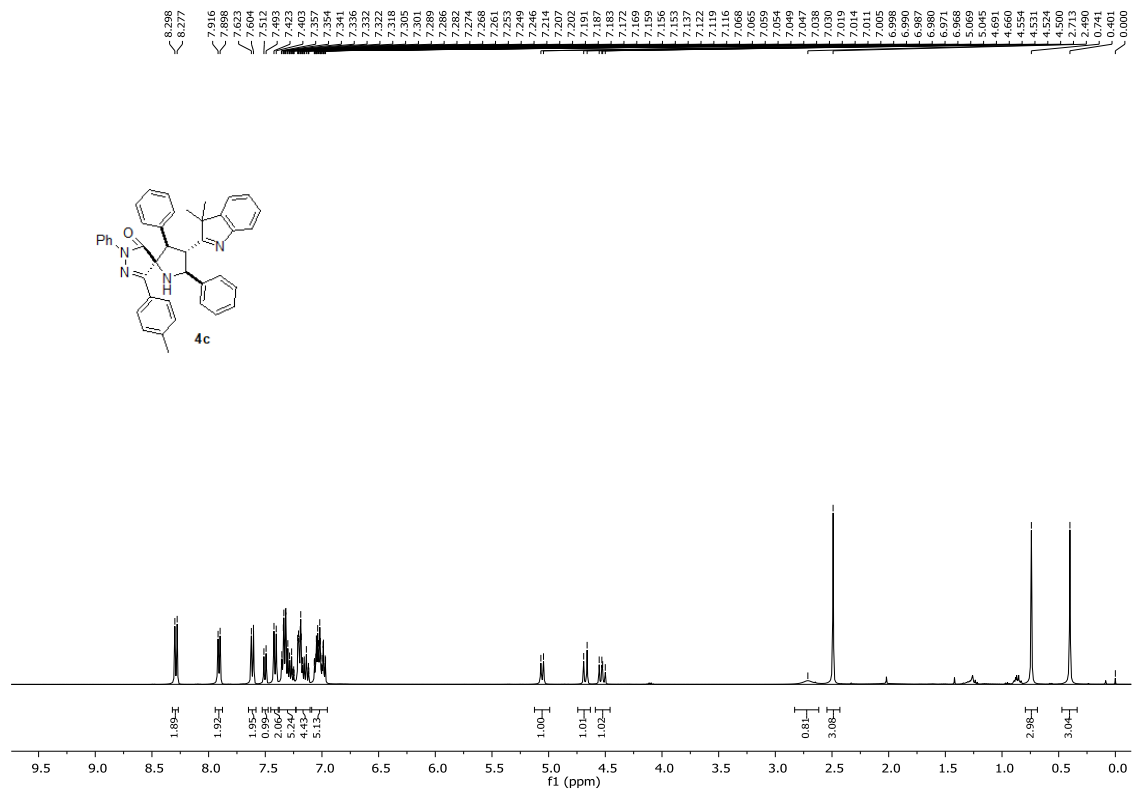
## References.

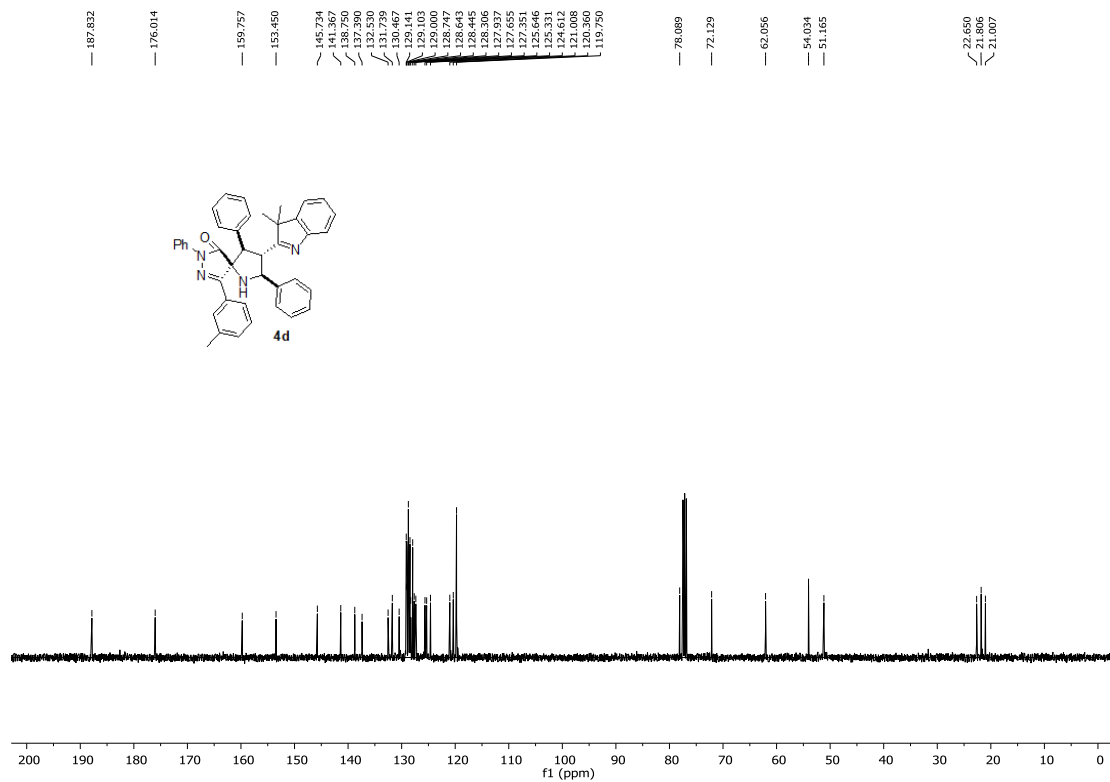
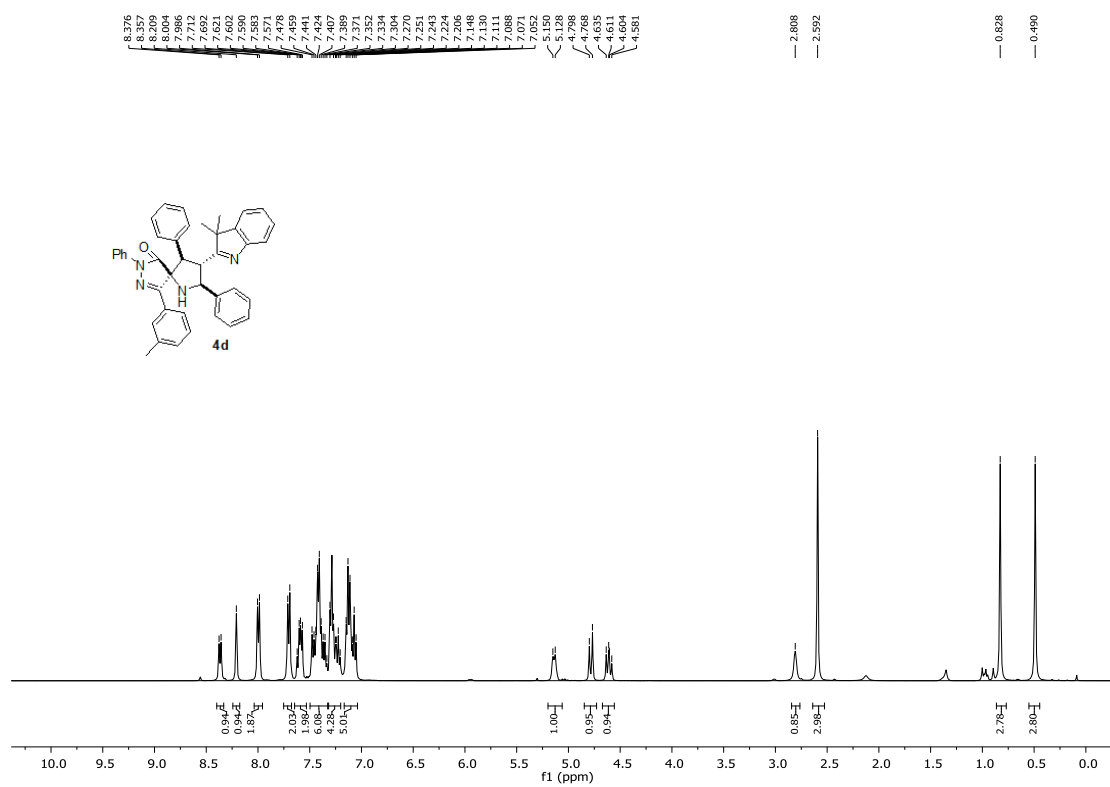
1. X. Bao, S. Wei, X. Qian, J. Qu, B. Wang, L. Zou and G. Ge, Asymmetric construction of a multi-pharmacophore-containing dispirotriheterocyclic scaffold and identification of a human carboxylesterase 1 inhibitor, *Org. Lett.*, 2018, **20**, 3394-3398.

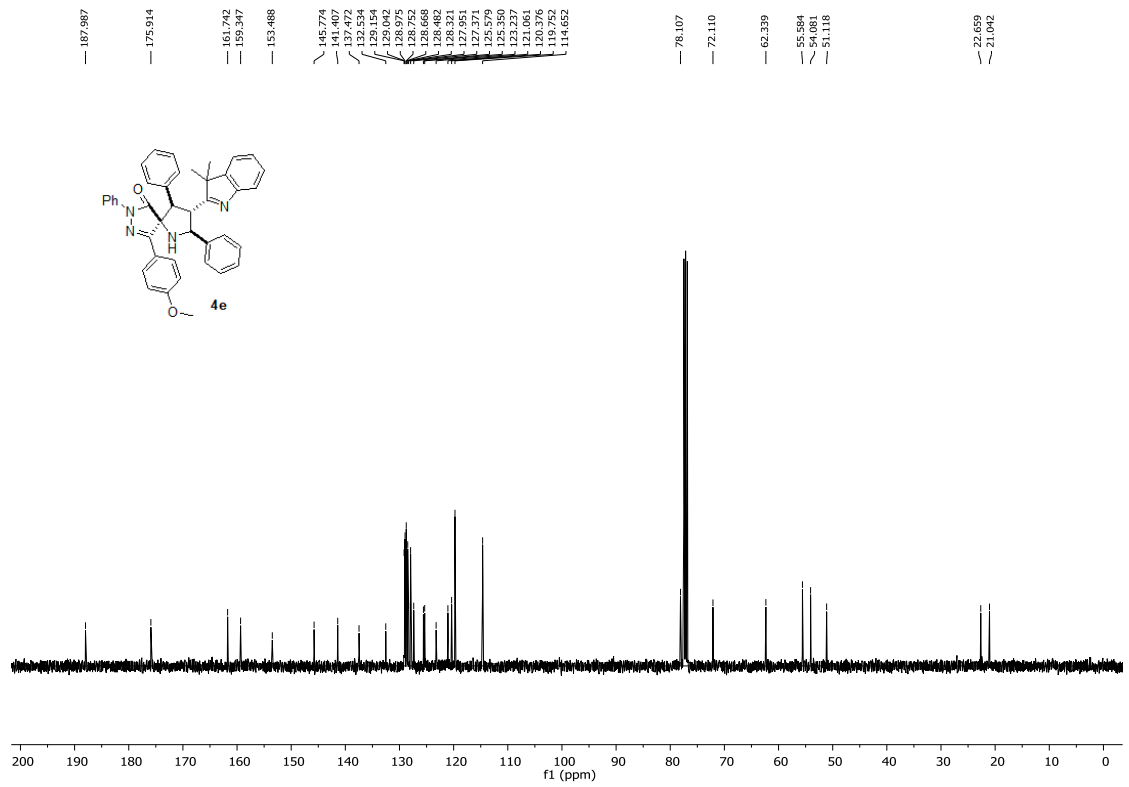
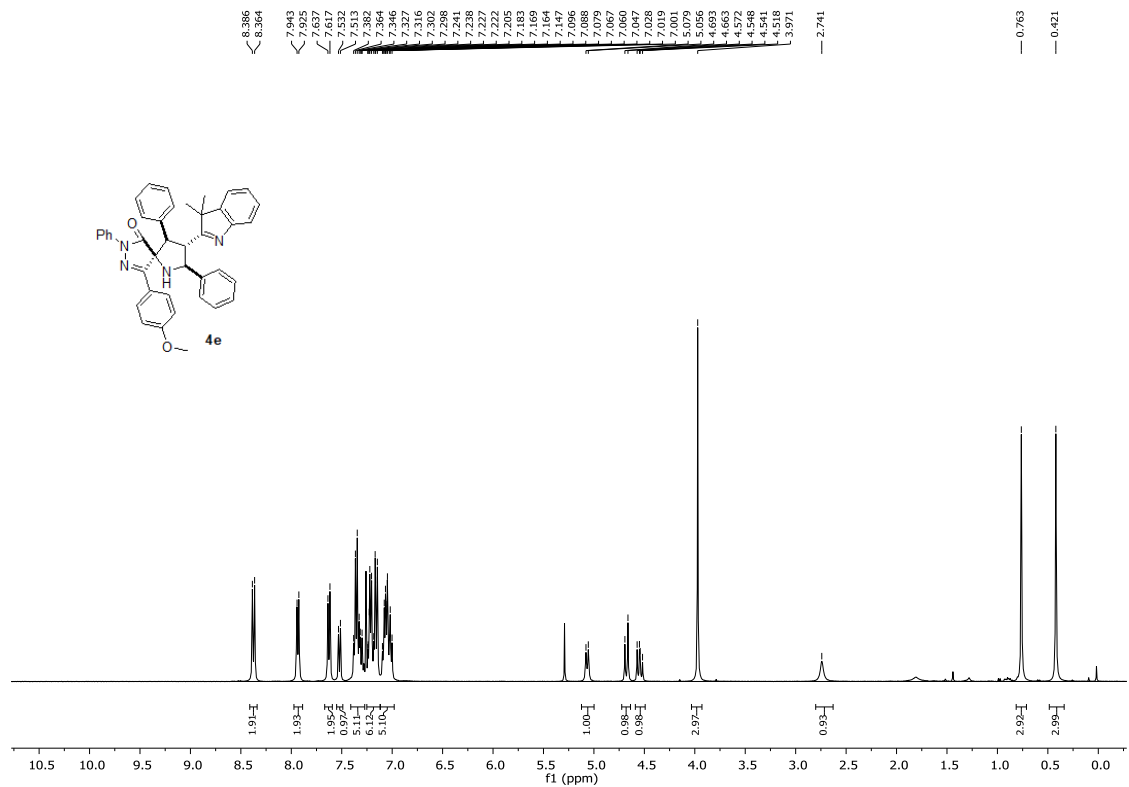
# NMR spectra for compounds



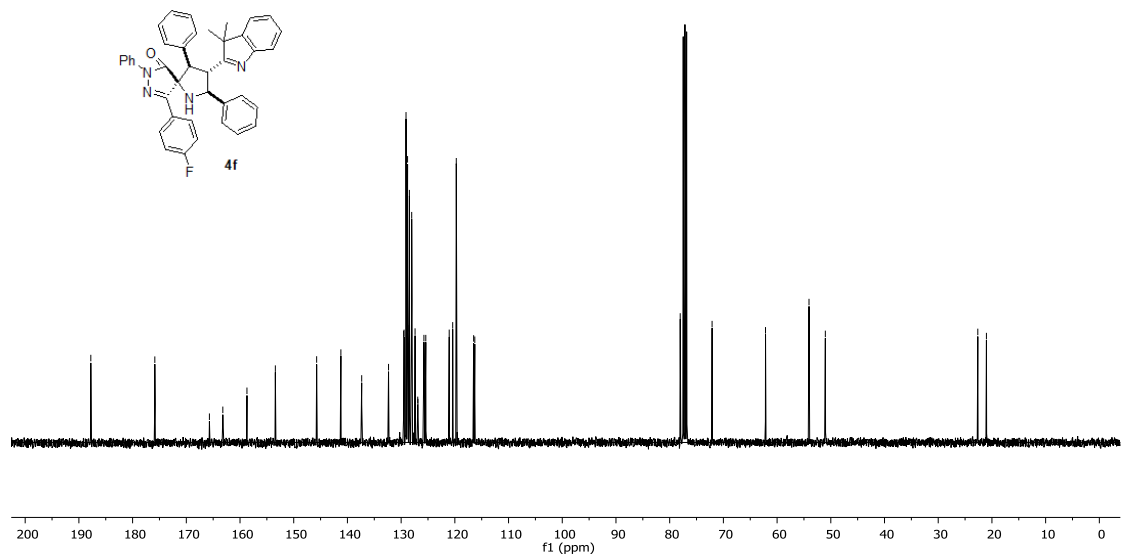
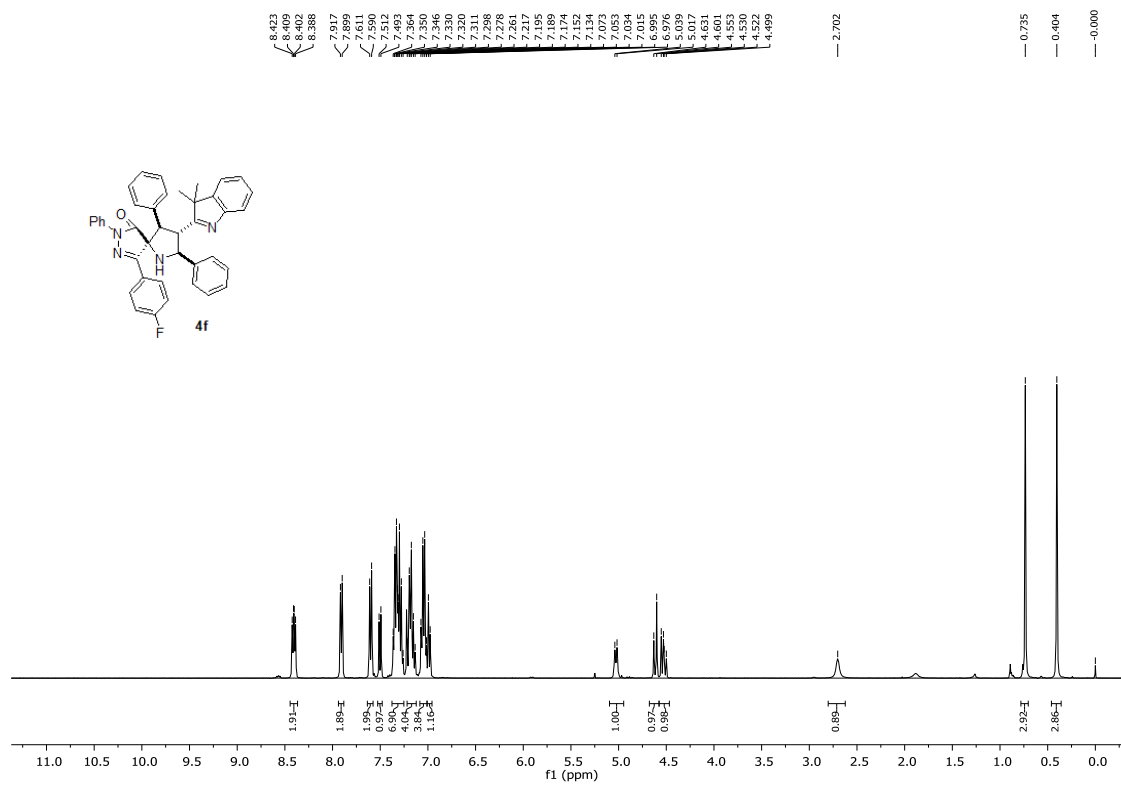


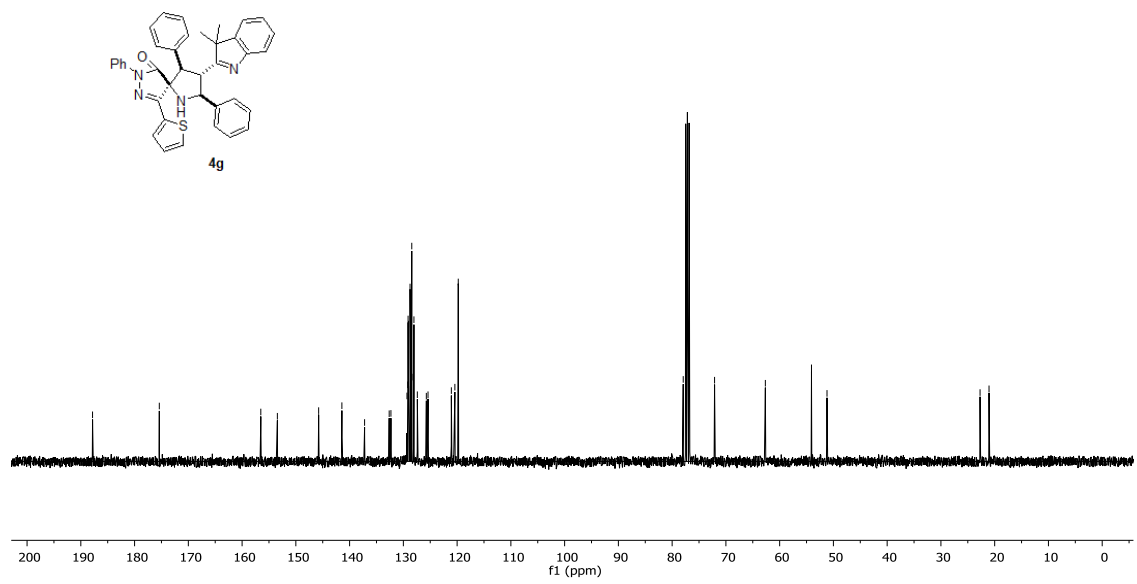
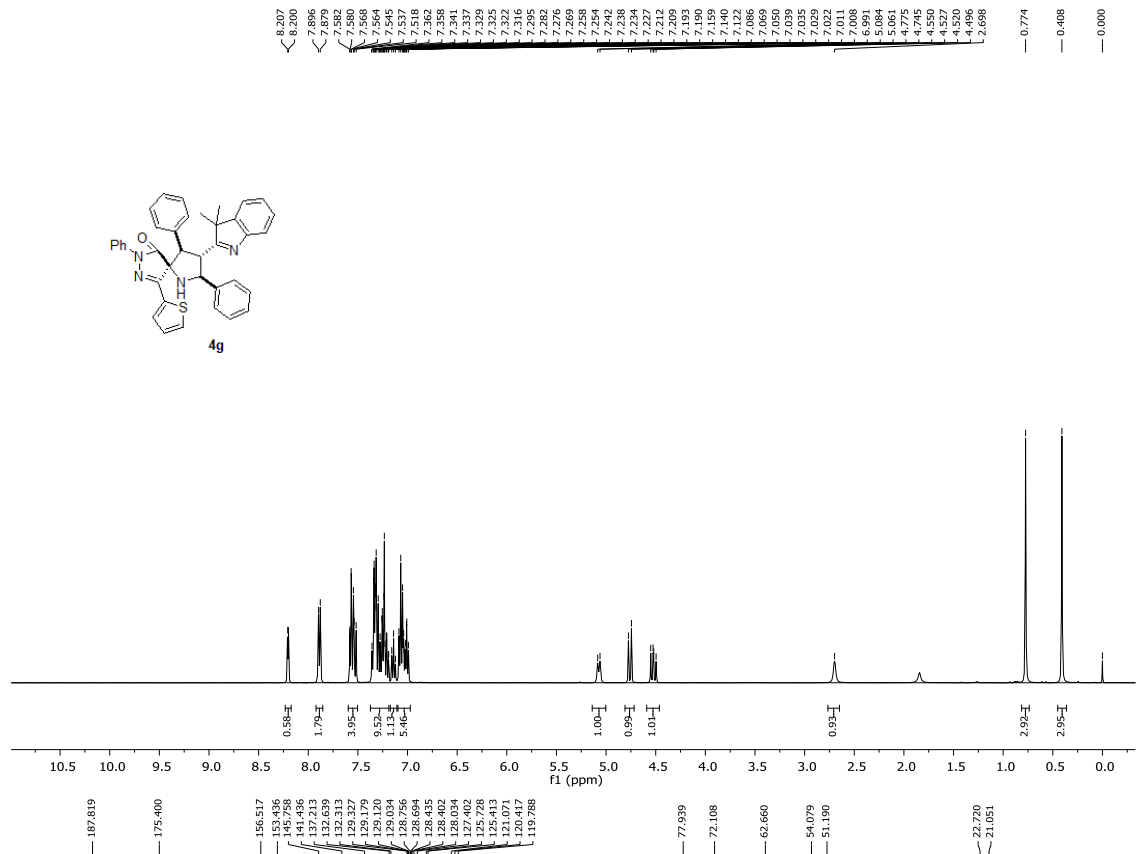


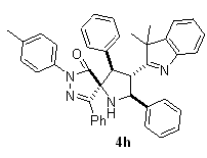
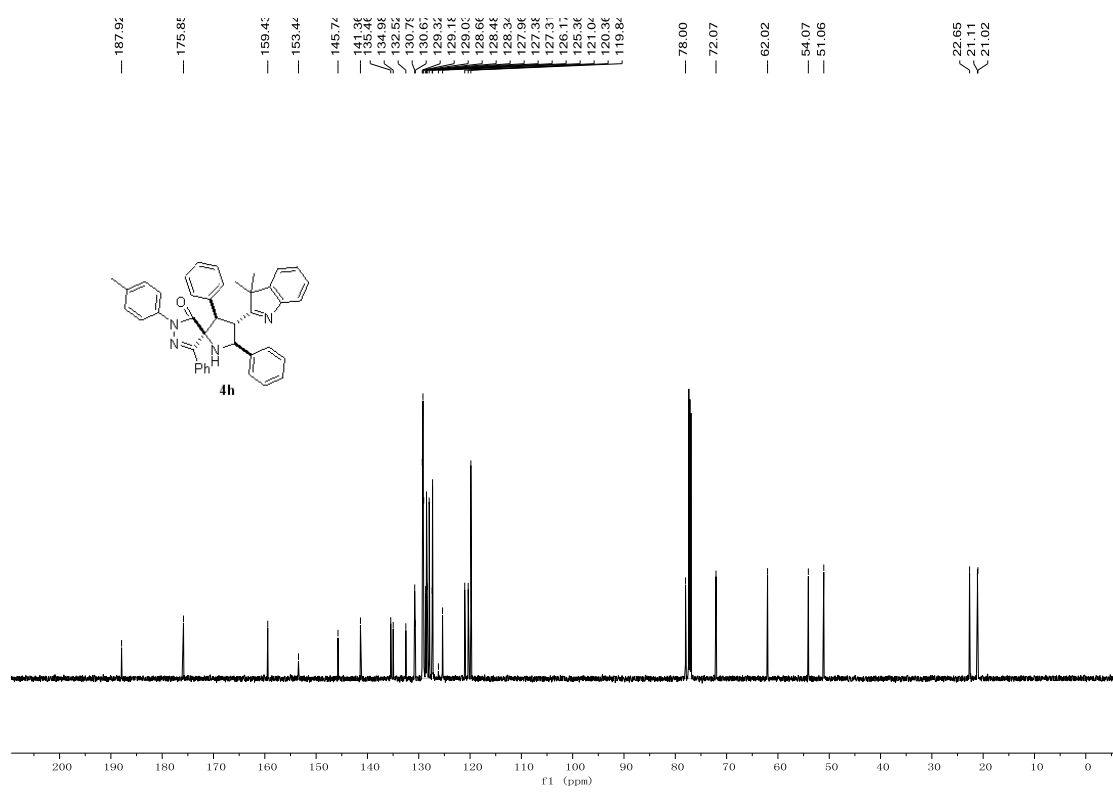
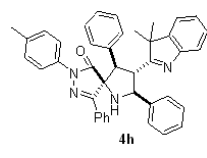
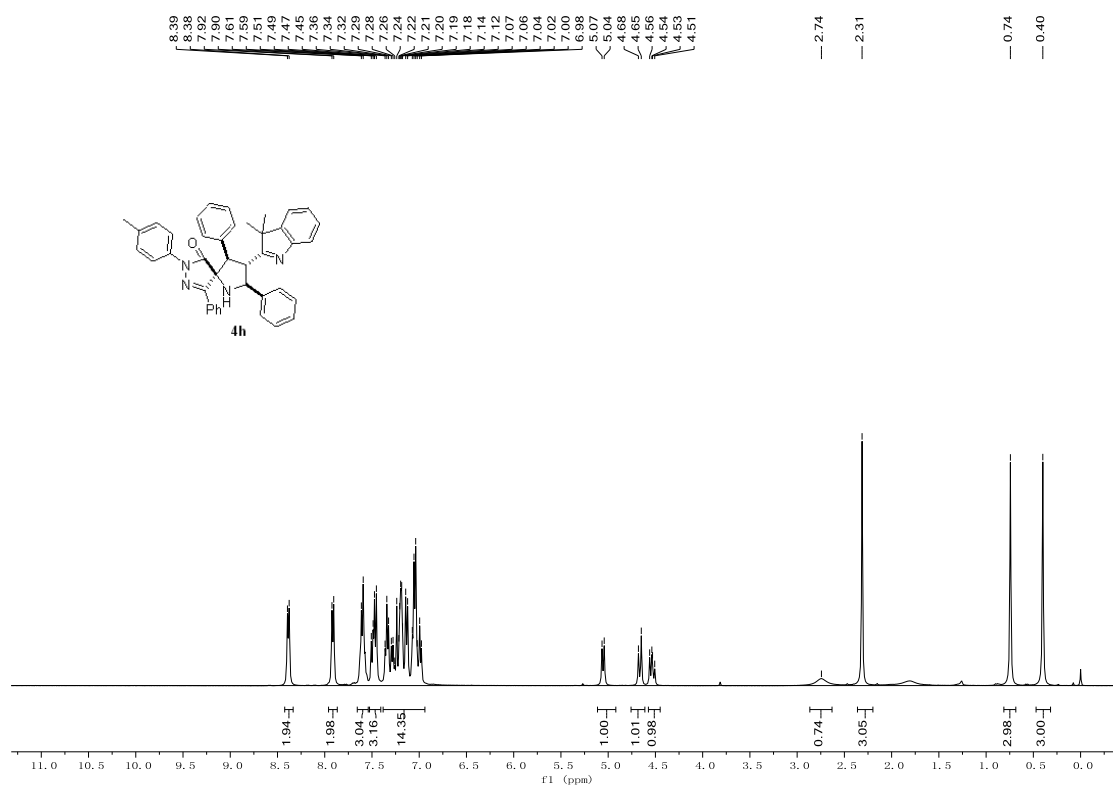


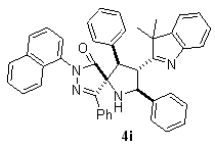
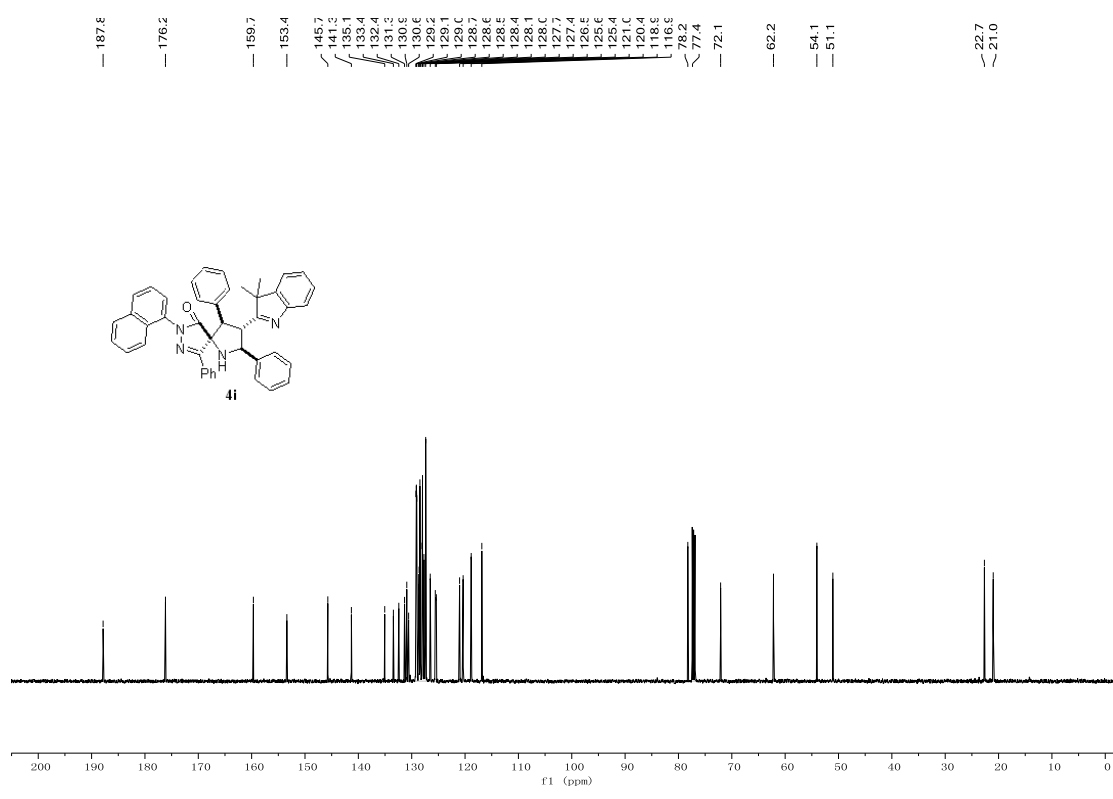
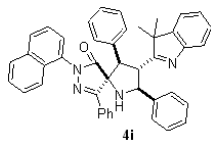
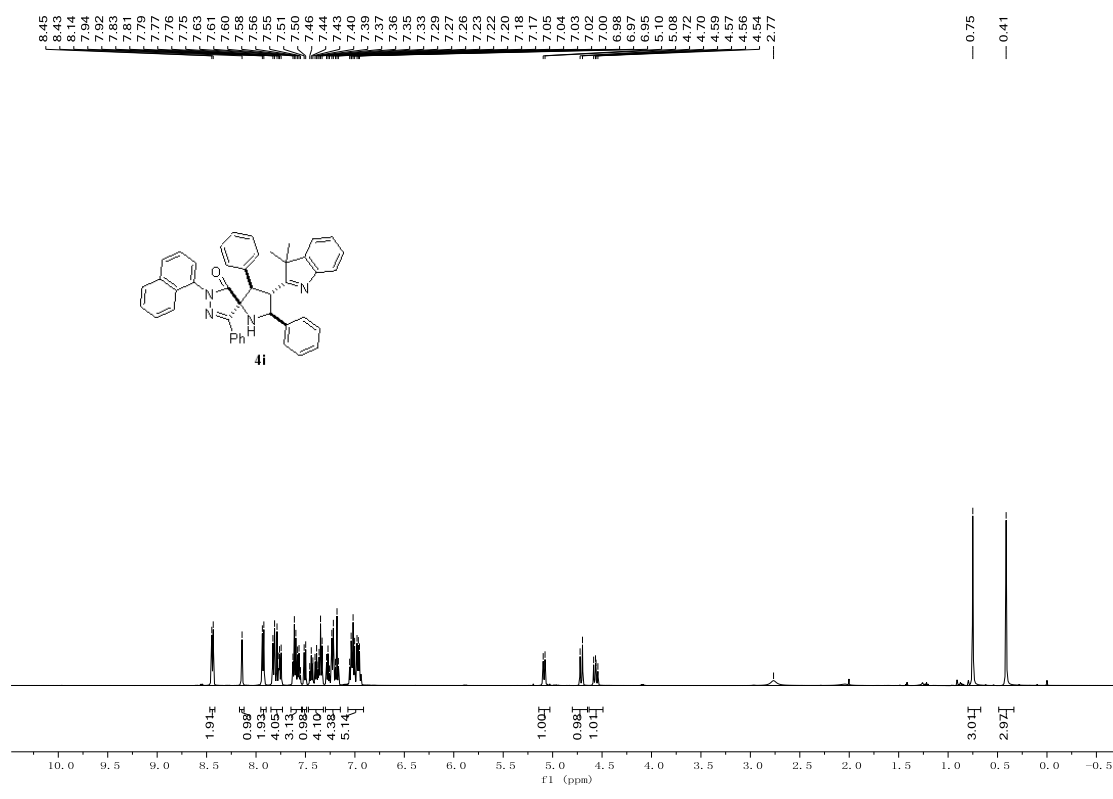


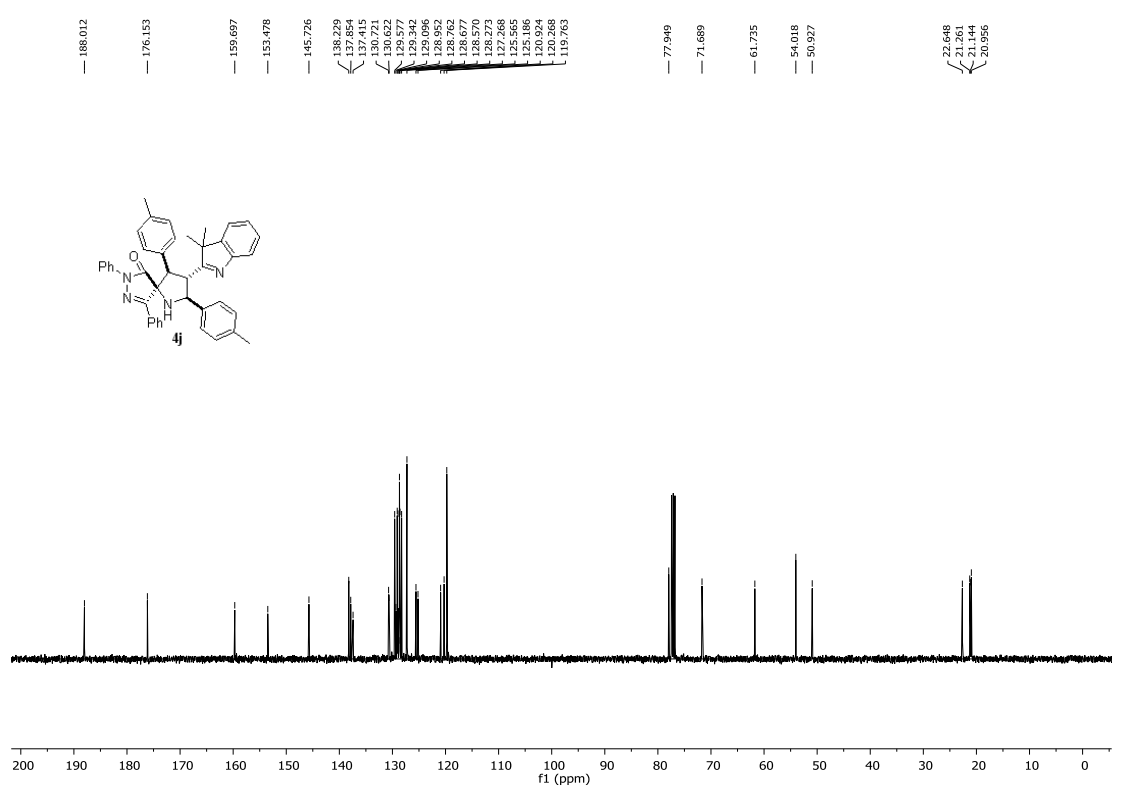
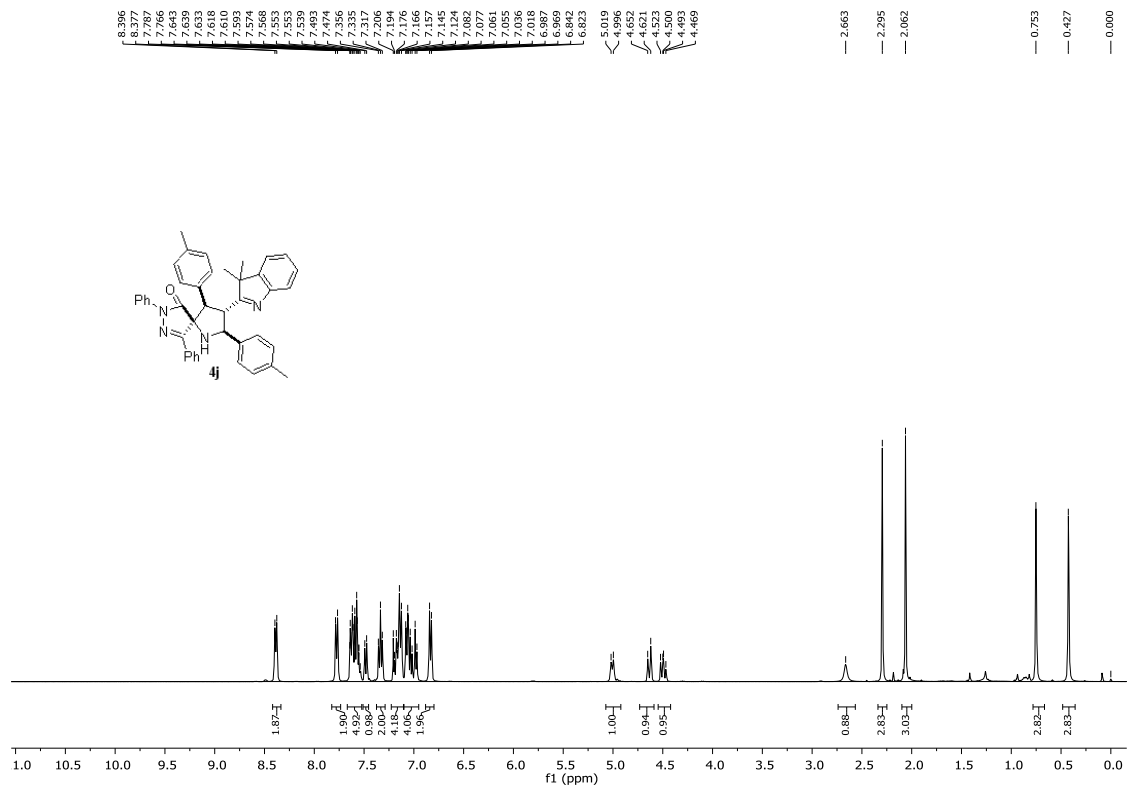


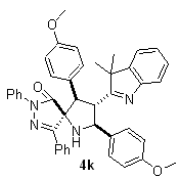
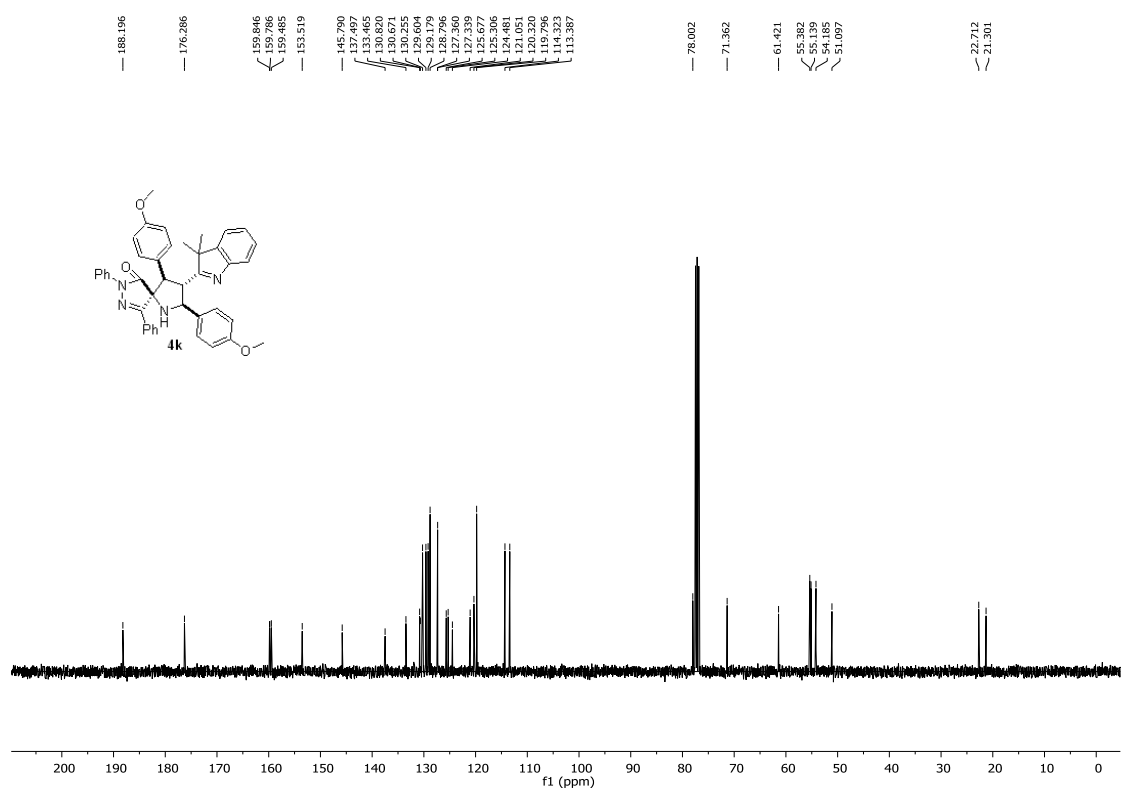
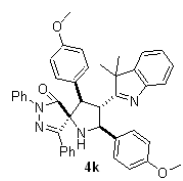
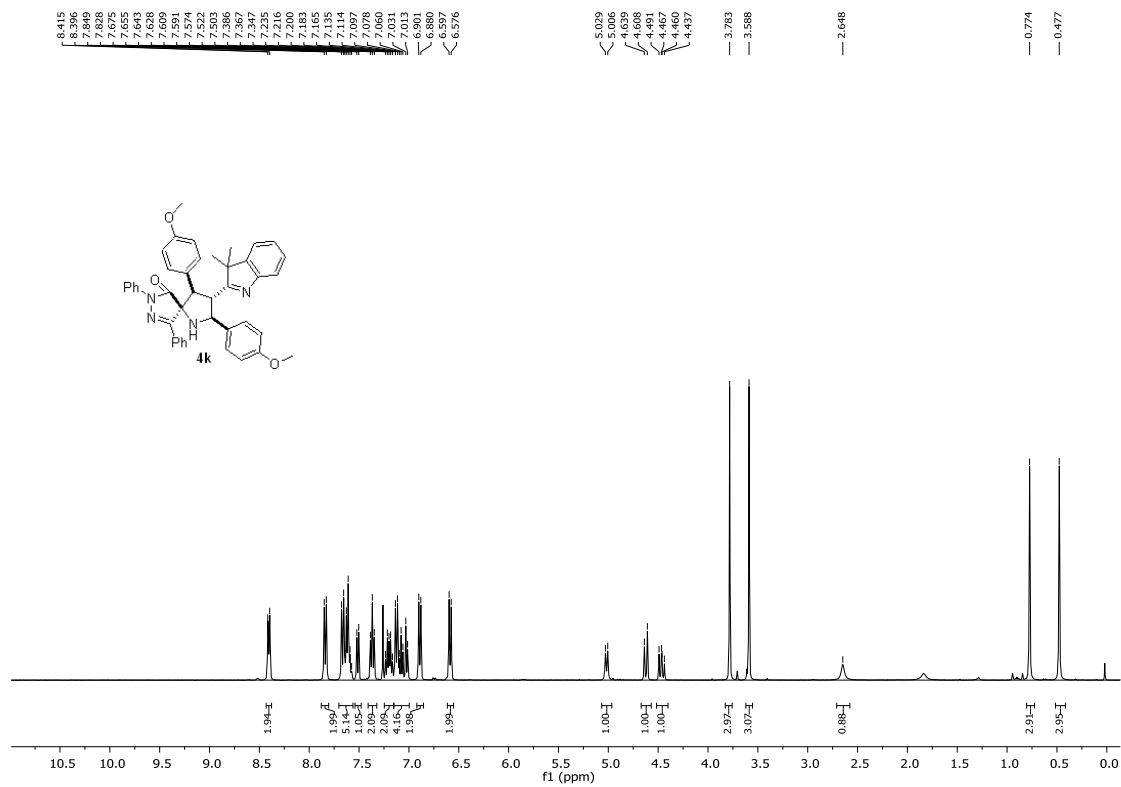


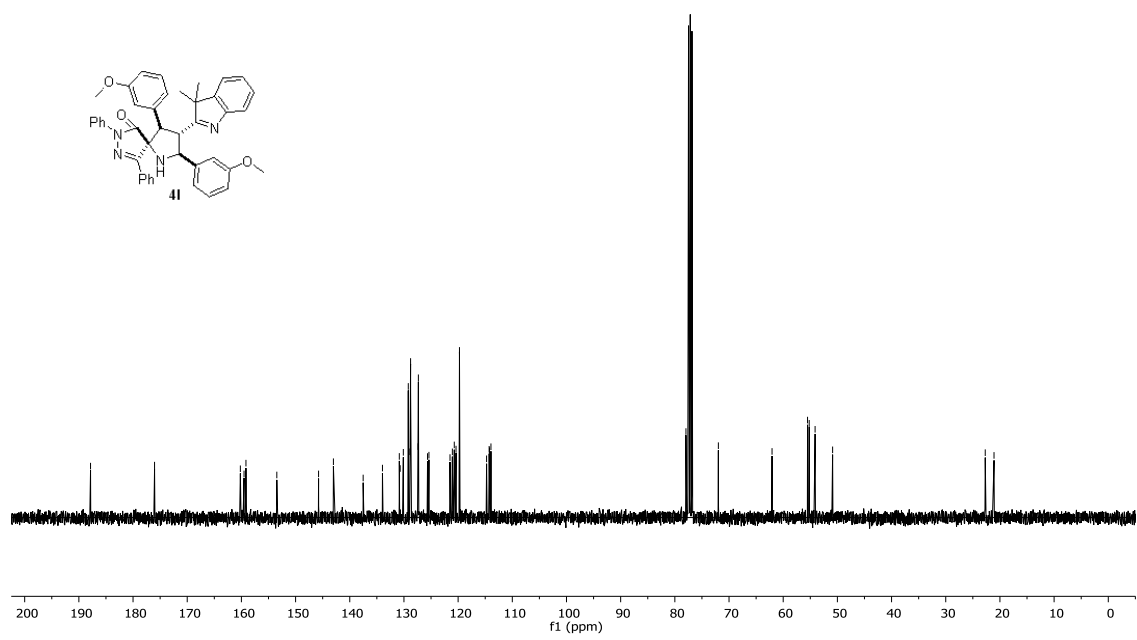
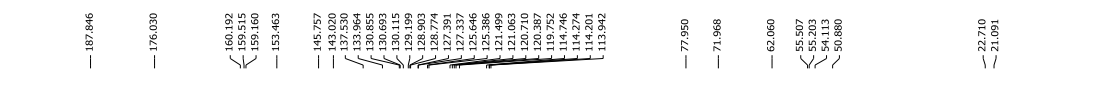
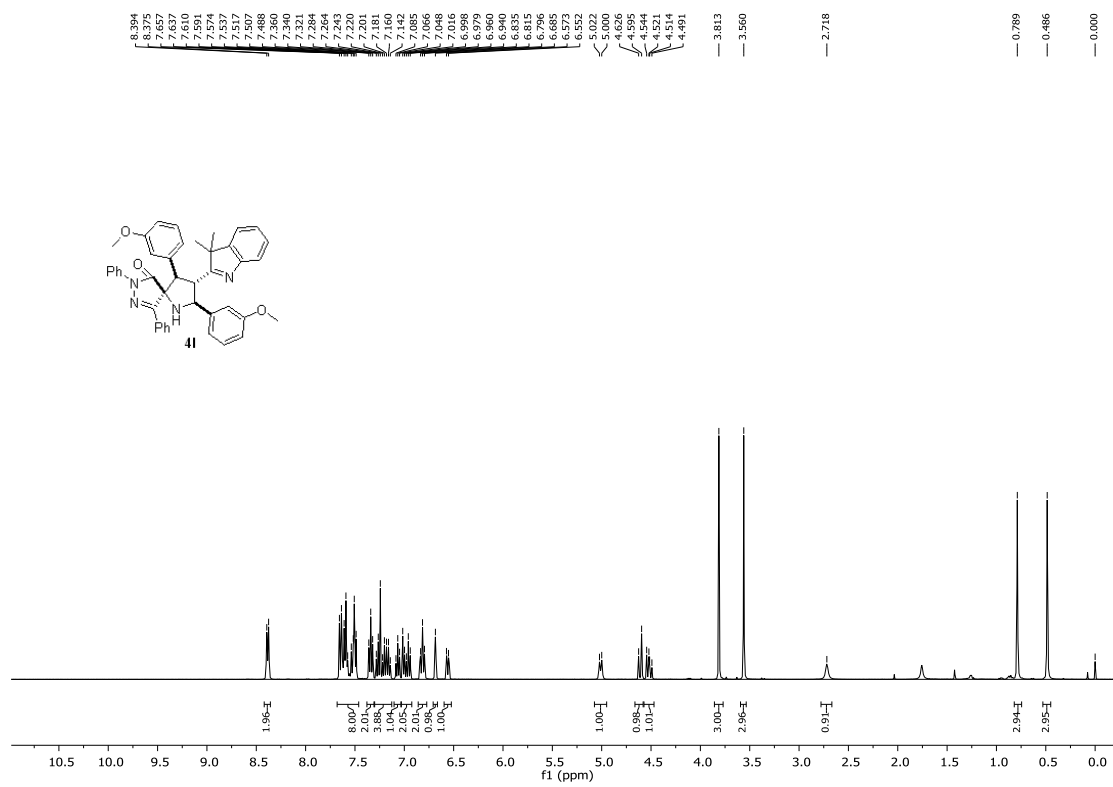


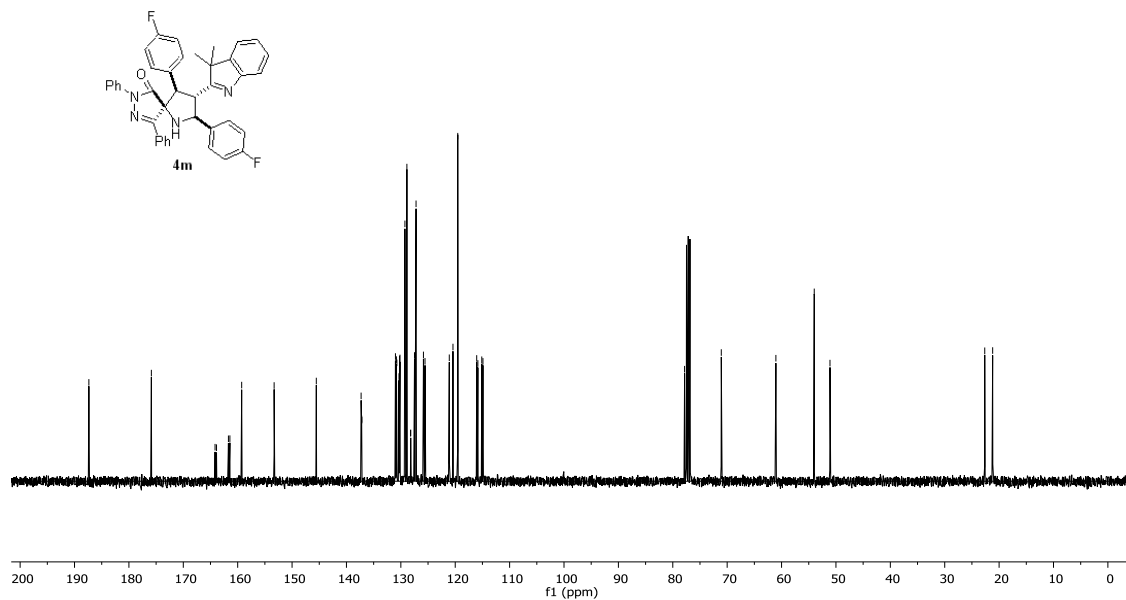
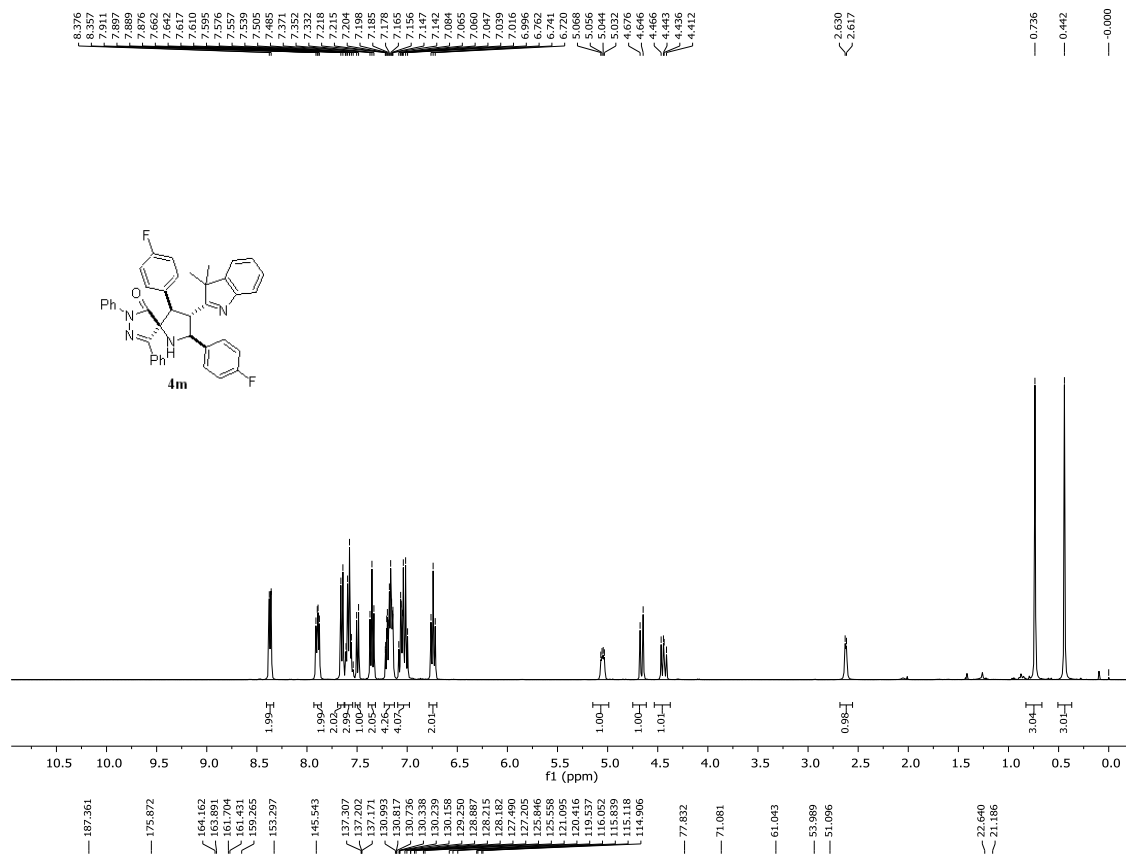




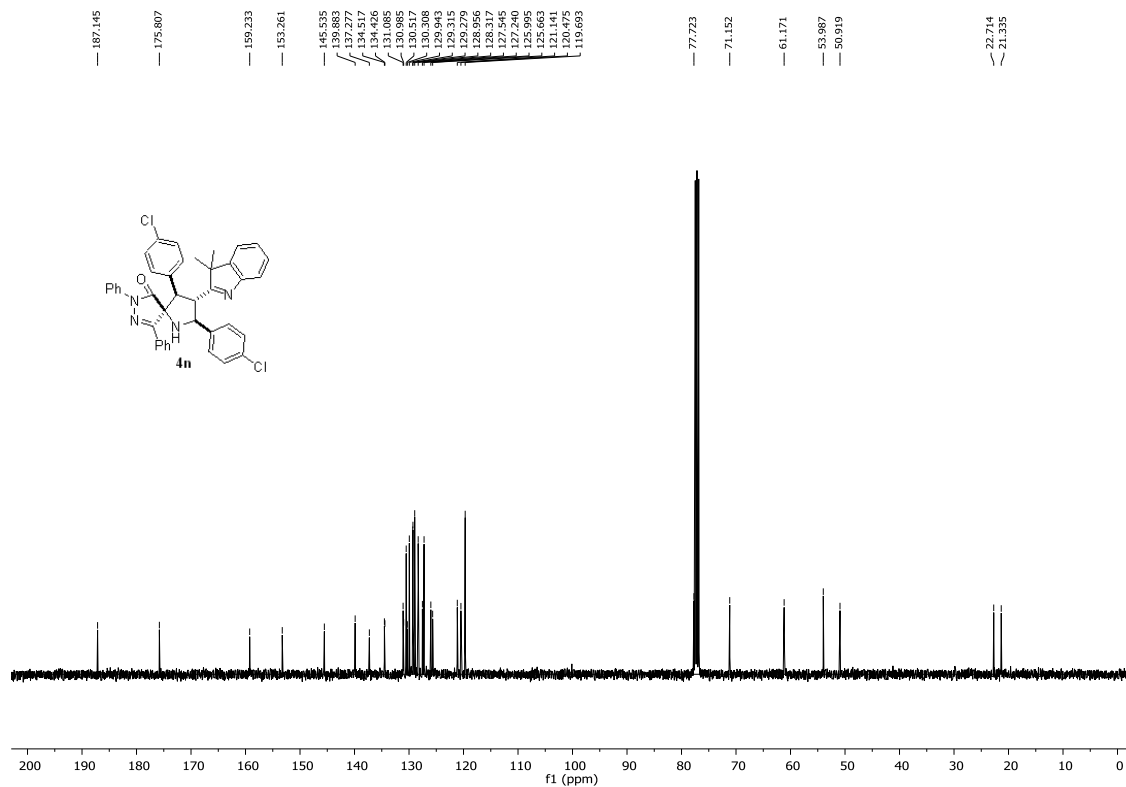
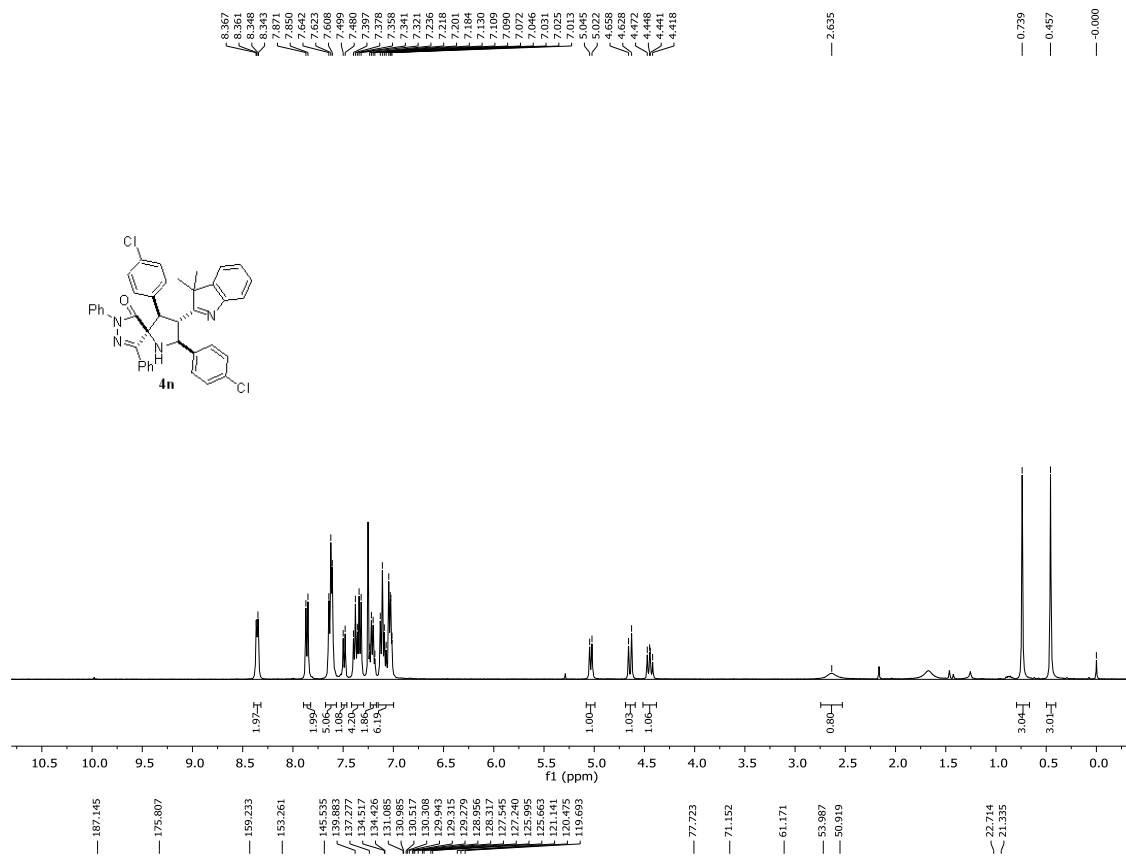






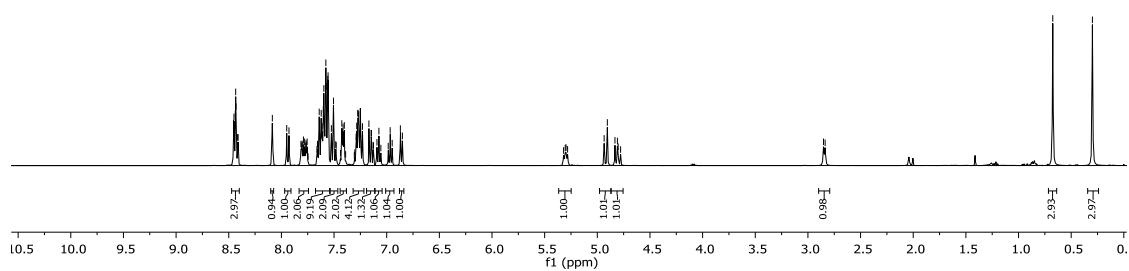
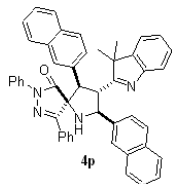








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