

*Supporting Information for:*

**Cu(II)-Catalyzed cyclization of  $\alpha$ -diazo- $\beta$ -oxoamides with amines leading to pyrrol-3(2H)-ones**

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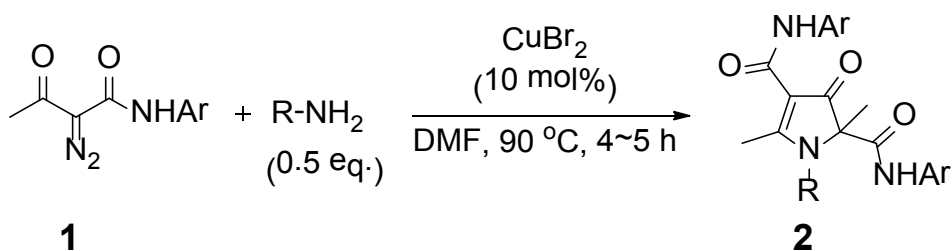
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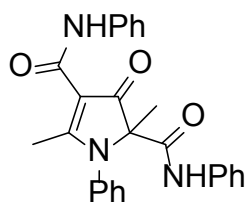
## I. General Information

All reagents were purchased from commercial sources and used without treatment, unless otherwise indicated. The products were purified by column chromatography over silica gel.  $^1\text{H}$  NMR and  $^{13}\text{C}$  NMR spectra were recorded at 25 °C at 300MHz or 400MHz and 100MHz, respectively, with TMS as internal standard. Mass spectra were recorded on BRUKER AutoflexIII Smartbeam MS-spectrometer. High resolution mass spectra (HRMS) were recorded on Bruker microTof by using ESI method.

## II. Synthesis and analytical data for compounds 2aa-2aj, 2ba-2bh and 2ca-2cb.

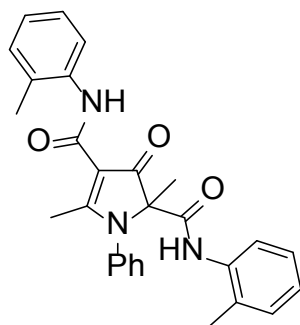


**Synthesis of Pyrrol-3(2H)-ones 2aa-2aj and 2ba-2bh** (with **2aa** as an example): To a solution of the **1a** (2 mmol) and aniline (1 mmol) dissolved in DMF (6 mL) was added 0.1 equiv of  $\text{CuBr}_2$  (0.2 mmol). The mixture was warmed to 90 °C and stirred for 4 h. When **1a** disappeared (monitored by TLC), the reaction mixture was then treated with 50 mL brine, and extracted with dichloromethane ( $2 \times 50$  mL). The combined organic layer was washed with brine ( $3 \times 50$  mL), dried over  $\text{MgSO}_4$  and filtered. The filtrate was concentrated in *vacuum*, and then purified by silica gel column chromatography to give **2aa** as a yellowish solid.



2,5-dimethyl-3-oxo- $N^2, N^4$ ,1-triphenyl-2,3-dihydro-1H-pyrrole-2,4-dicarboxamide

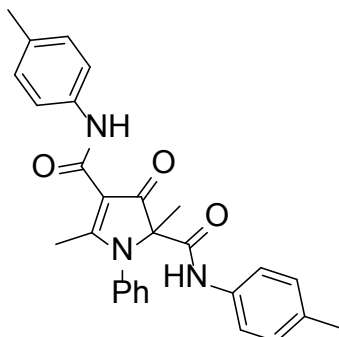
(**2aa**) Yellowish solid; mp 197-200 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.12 (s, 1H), 8.86 (s, 1H), 7.64-7.67 (m, 2H), 7.49-7.54 (m, 5H), 7.43-7.46 (m, 1H), 7.33 (t,  $J = 9.0\text{Hz}$ , 5H), 7.06-7.16 (m, 2H), 2.59 (s, 3H), 1.78 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.3, 182.5, 163.2, 161.5, 138.4, 137.0, 135.6, 129.6, 129.5, 128.9, 128.8, 124.8, 123.5, 120.4, 120.0, 103.5, 76.7, 22.1, 16.4. **HRMS** Calcd for  $\text{C}_{26}\text{H}_{24}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 426.1818; Found 426.1819.



2,5-dimethyl-3-oxo-1-phenyl- $N^2, N^4$ -di-*o*-tolyl-2,3-dihydro-1H-pyrrole-2,4-dicarboxamide

(2ab) White solid; mp 168-170 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.08 (s, 1H), 8.92 (s, 1H), 8.22-8.25 (m, 1H), 7.78-7.81 (m, 1H), 7.46-7.52 (m, 5H), 7.16-7.22 (m, 4H), 7.00-7.10 (m, 2H), 2.60 (s, 3H), 2.41 (s, 3H), 2.32 (s, 3H), 1.83 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.7, 182.5, 163.5, 161.4, 136.9, 135.8, 134.9, 130.5, 130.2, 129.8, 129.4, 129.3, 129.2, 127.4, 126.6, 126.4, 125.3, 123.5, 122.4, 121.4, 103.8, 76.5, 22.5, 18.0, 17.5, 16.3. **HRMS** Calcd for  $\text{C}_{28}\text{H}_{28}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 454.2131; Found 454.2130.

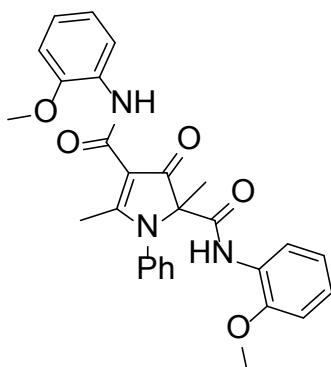
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2,5-dimethyl-3-oxo-1-phenyl- $N^2,N^4$ -di-*p*-tolyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(2ac) White solid; mp 178-180 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.05 (s, 1H), 8.76 (s, 1H), 7.47-7.55 (m, 5H), 7.38-7.44 (m, 4H), 7.12 (d,  $J = 9.0\text{Hz}$ , 4H), 2.58 (s, 3H), 2.32 (s, 3H), 2.31 (s, 3H), 1.76 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.3, 182.3, 163.1, 161.4, 135.8, 135.7, 134.5, 134.4, 132.9, 129.6, 129.4, 129.3, 120.4, 120.0, 103.6, 76.7, 22.0, 20.8, 16.3. **HRMS** Calcd for  $\text{C}_{28}\text{H}_{28}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 454.2131; Found 454.2117.

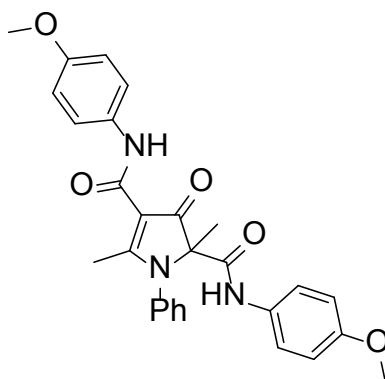
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$N^2,N^4$ -bis(2-methoxyphenyl)-2,5-dimethyl-3-oxo-1-phenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(2ad) White solid; mp 173-176 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.55 (s, 1H), 9.00 (s, 1H), 8.50 (dd,  $J = 8.0\text{ Hz}$ , 1.5 Hz, 1H), 8.20 (dd,  $J = 8.0\text{ Hz}$ , 1.5 Hz, 1H), 7.38-7.50 (m, 5H), 6.98-7.10 (m, 2H), 6.85-6.98 (m, 4H), 3.97 (s, 3H), 3.91 (s, 3H), 2.64 (s, 3H), 1.74 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.0, 182.0, 162.8, 161.6, 148.5, 135.8, 129.6, 129.4, 128.6, 126.8, 124.5, 122.9, 121.0, 120.8, 120.1, 110.2, 110.1, 104.1, 77.3, 55.94, 55.90, 20.9, 16.3. **HRMS** Calcd for  $\text{C}_{28}\text{H}_{28}\text{N}_3\text{O}_5$  ( $[\text{M} + \text{H}]^+$ ) 486.2029; Found 486.2013.

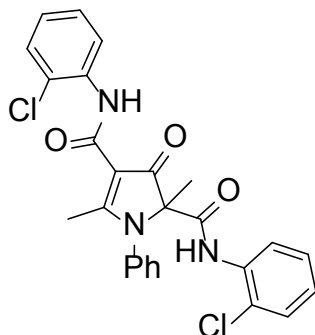
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*N*<sup>2</sup>,*N*<sup>4</sup>-bis(4-methoxyphenyl)-2,5-dimethyl-3-oxo-1-phenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

**(2ae)** White solid; mp 156-158 °C. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 300 MHz) δ 10.00 (s, 1H), 8.72 (s, 1H), 7.53-7.56 (m, 2H), 7.46-7.50 (m, 3H), 7.39-7.43 (m, 4H), 6.84-6.88 (m, 4H), 3.80 (s, 3H), 3.79 (s, 3H), 2.58 (s, 3H), 1.75 (s, 3H). <sup>13</sup>C-NMR (CDCl<sub>3</sub>, 100Hz) δ 195.3, 182.0, 163.0, 161.4, 156.6, 155.8, 135.4, 131.4, 130.2, 129.4, 129.2, 122.3, 121.6, 113.9, 103.4, 76.9, 55.3, 21.3, 16.2. HRMS Calcd for C<sub>28</sub>H<sub>28</sub>N<sub>3</sub>O<sub>5</sub> ([M + H]<sup>+</sup>) 486.2029; Found 486.2019.

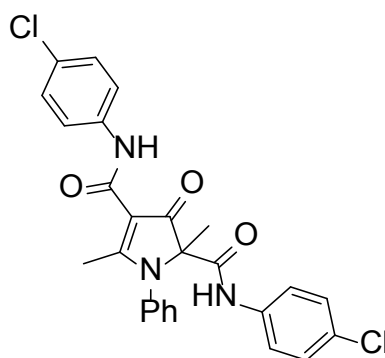
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*N*<sup>2</sup>,*N*<sup>4</sup>-bis(2-chlorophenyl)-2,5-dimethyl-3-oxo-1-phenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

**(2af)** Yellow solid; mp 159-161 °C. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 300 MHz) δ 10.58 (s, 1H), 9.22 (s, 1H), 8.51 (dd, *J* = 1.2Hz, 8.1Hz, 1H), 8.18 (dd, *J* = 1.2Hz, 8.1Hz, 1H), 7.47-7.54 (m, 3H), 7.35-7.46 (m, 4H), 7.22-7.27 (m, 2H), 6.98-7.09 (m, 2H), 2.62 (s, 3H), 1.82 (s, 3H). <sup>13</sup>C-NMR (CDCl<sub>3</sub>, 100Hz) δ 194.9, 182.6, 163.5, 161.6, 135.8, 135.7, 133.8, 129.7, 129.6, 129.5, 129.3, 129.2, 127.5, 127.2, 125.4, 124.2, 123.8, 123.3, 122.0, 121.9, 103.7, 76.9, 21.8, 16.5. HRMS Calcd for C<sub>26</sub>H<sub>22</sub>Cl<sub>2</sub>N<sub>3</sub>O<sub>3</sub> ([M + H]<sup>+</sup>) 494.1028; Found 494.1038.

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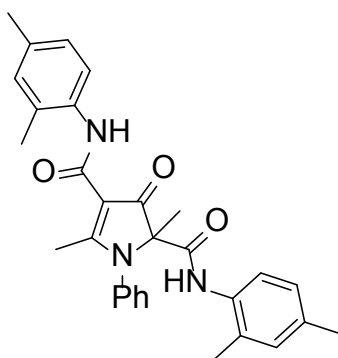


*N*<sup>2</sup>,*N*<sup>4</sup>-bis(4-chlorophenyl)-2,5-dimethyl-3-oxo-1-phenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

**(2ag)** Yellowish solid; mp 150-152 °C. <sup>1</sup>H-NMR (CDCl<sub>3</sub>, 300 MHz) δ 10.12 (s, 1H), 8.91 (s, 1H), 7.60-7.63 (m, 2H), 7.47-7.53 (m, 4H), 7.41-7.47 (m, 3H), 7.27-7.30 (m, 4H), 2.58 (s, 3H), 1.79 (s,

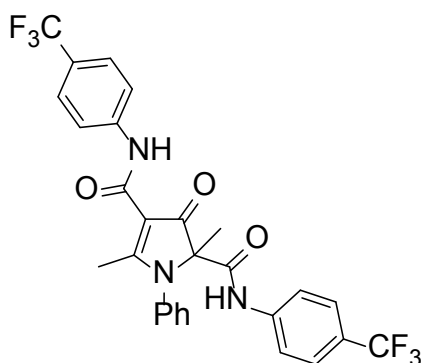
3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.2, 182.7, 163.2, 161.4, 137.0, 135.6, 135.5, 129.9, 129.7, 129.6, 129.5, 129.0, 128.8, 128.4, 121.5, 121.2, 103.4, 76.6, 22.3, 16.4. **HRMS** Calcd for  $\text{C}_{26}\text{H}_{22}\text{Cl}_2\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 494.1038; Found 494.1027.

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$N^2,N^4$ -bis(2,4-dimethylphenyl)-2,5-dimethyl-3-oxo-1-phenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide (**2ah**) Red solid; mp 184-186 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.01 (s, 1H), 8.79 (s, 1H), 8.05-8.08 (m, 1H), 7.60-7.63 (m, 1H), 7.45-7.51 (m, 5H), 6.97-7.02 (m, 4H), 2.59 (s, 3H), 2.37 (s, 3H), 2.30 (s, 3H), 2.27 (s, 3H), 2.25 (s, 3H), 1.81 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.7, 182.3, 163.5, 161.4, 135.8, 135.0, 134.2, 133.0, 132.3, 131.1, 130.8, 129.8, 129.5, 129.3, 127.5, 127.0, 126.9, 122.7, 121.5, 103.8, 76.5, 22.2, 20.7, 17.9, 17.4, 16.2. **HRMS** Calcd for  $\text{C}_{30}\text{H}_{32}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 482.2444; Found 482.2443.

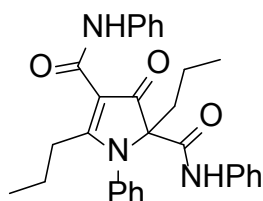
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2,5-dimethyl-3-oxo-1-phenyl- $N^2,N^4$ -bis(4-(trifluoromethyl)phenyl)-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(**2ai**) Yellow solid; mp 209-211 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.28 (s, 1H), 9.11 (s, 1H), 7.76-7.79 (m, 2H), 7.65-7.68 (m, 2H), 7.53-7.60 (m, 7H), 7.44-7.47 (m, 2H), 2.59 (s, 3H), 1.82 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.0, 183.0, 163.4, 161.6, 141.6, 140.1, 135.3, 129.8, 129.5, 129.6, 126.2, 126.19, 126.1, 120.0, 119.5, 103.3, 76.8, 22.3, 16.4. **HRMS** Calcd for  $\text{C}_{28}\text{H}_{22}\text{F}_6\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 562.1565; Found 562.1552.

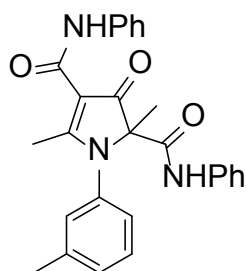
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3-oxo- $N^2,N^4,1$ -triphenyl-2,5-dipropyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

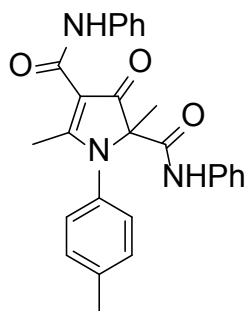
(**2aj**) Yellow solid; mp: 138-141 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.27 (s, 1H), 8.98 (s, 1H), 7.65-7.68 (m, 2H), 7.41-7.51 (m, 7H), 7.30-7.36 (m, 4H), 7.05-7.10 (m, 2H), 3.00-3.09 (m, 1H),

2.82-2.91 (m, 1H), 2.08-2.22 (m, 2H), 1.63-1.70 (m, 2H), 1.12-1.32 (m, 2H), 0.81-0.98 (m, 6H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.3, 186.7, 163.0, 161.0, 138.6, 137.0, 136.0, 129.9, 129.5, 129.3, 129.0, 128.8, 125.6, 124.8, 123.4, 120.2, 119.8, 104.0, 80.5, 37.5, 30.5, 22.1, 16.6, 14.3, 13.7. **HRMS** Calcd for  $\text{C}_{30}\text{H}_{32}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 482.2444; Found 482.2438.



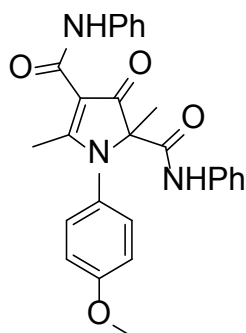
2,5-dimethyl-3-oxo- $N^2, N^4$ -diphenyl-1-(*m*-tolyl)-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(**2ba**) White solid; mp 178-180 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.11 (s, 1H), 8.77 (s, 1H), 7.64-7.67 (m, 2H), 7.50-7.52 (m, 2H), 7.30-7.40 (m, 6H), 7.21-7.24 (m, 2H), 7.05-7.15 (m, 2H), 2.59 (s, 3H), 2.42 (s, 3H), 1.77 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.3, 182.6, 163.3, 161.6, 139.6, 138.5, 137.0, 135.6, 130.3, 130.0, 129.2, 129.0, 128.8, 126.6, 124.8, 123.5, 120.3, 120.0, 103.5, 76.7, 22.1, 21.3, 16.4. **HRMS** Calcd for  $\text{C}_{27}\text{H}_{26}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 440.1968; Found 440.1974.



2,5-dimethyl-3-oxo- $N^2, N^4$ -diphenyl-1-(*p*-tolyl)-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(**2bb**) Yellow solid; mp 171-173 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.14 (s, 1H), 8.86 (s, 1H), 7.64-7.67 (m, 2H), 7.51-7.54 (m, 2H), 7.30-7.35 (m, 8H), 7.06-7.15 (m, 2H), 2.58 (s, 3H), 2.42 (s, 3H), 1.77 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.2, 182.5, 163.2, 161.6, 139.6, 138.4, 137.0, 132.7, 130.0, 129.3, 129.1, 128.8, 128.7, 124.8, 123.4, 120.4, 120.0, 119.4, 103.3, 76.7, 21.8, 21.0, 16.2. **HRMS** Calcd for  $\text{C}_{27}\text{H}_{26}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 440.1974; Found 440.1970.

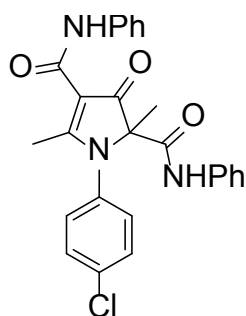


1-(4-methoxyphenyl)-2,5-dimethyl-3-oxo- $N^2, N^4$ -diphenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(**2bc**) Yellow solid; mp 178-181 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.12 (s, 1H), 8.85 (s, 1H), 7.64-7.66 (m, 2H), 7.50-7.53 (m, 2H), 7.30-7.37 (m, 6H), 7.05-7.15 (m, 2H), 6.97-7.00 (m, 2H), 3.86 (s, 3H), 2.58 (s, 3H), 1.76 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.3, 182.8, 163.3, 161.6, 160.1, 138.4, 137.0, 130.8,

128.9, 128.8, 127.9, 124.8, 123.5, 120.3, 120.0, 114.5, 103.3, 76.6, 55.4, 22.1, 16.3. **HRMS** Calcd for  $C_{27}H_{26}N_3O_4$  ( $[M + H]^+$ ) 456.1923; Found 456.1931.

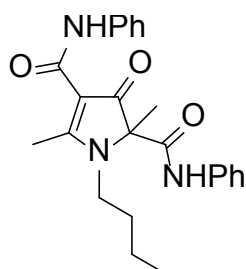
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1-(4-chlorophenyl)-2,5-dimethyl-3-oxo- $N^2,N^4$ -diphenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

**(2bd)** Yellow solid; mp 190-192 °C.  **$^1H$ -NMR** ( $CDCl_3$ , 300 MHz)  $\delta$  10.07 (s, 1H), 8.93 (s, 1H), 7.63-7.67 (m, 2H), 7.47-7.52 (m, 4H), 7.40-7.43 (m, 2H), 7.33 (t,  $J = 8.1$ Hz, 4H), 7.09-7.17 (m, 2H), 2.59 (s, 3H), 1.78 (s, 3H).  **$^{13}C$ -NMR** ( $CDCl_3$ , 100Hz)  $\delta$  195.2, 182.4, 163.2, 161.3, 138.3, 136.9, 135.6, 134.1, 131.1, 129.6, 128.9, 128.8, 124.9, 123.6, 120.3, 120.0, 103.7, 76.5, 22.3, 16.3. **HRMS** Calcd for  $C_{26}H_{23}ClN_3O_3$  ( $[M + H]^+$ ) 460.1428; Found 460.1416.

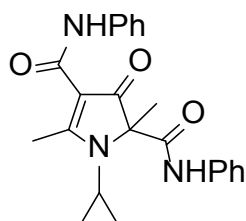
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1-butyl-2,5-dimethyl-3-oxo- $N^2,N^4$ -diphenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

**(2be)** Yellow solid; mp 193-195 °C.  **$^1H$ -NMR** ( $CDCl_3$ , 400 MHz)  $\delta$  10.08 (s, 1H), 9.22 (s, 1H), 7.63 (d,  $J = 8.0$ Hz, 2H), 7.53 (d,  $J = 8.0$ Hz, 2H), 7.29-7.36 (m, 4H), 7.14 (t,  $J = 7.2$ Hz, 1H), 7.06 (t,  $J = 7.2$ Hz, 1H), 3.82-4.05 (m, 2H), 2.85 (s, 3H), 1.58-1.88 (m, 2H), 1.80 (s, 3H), 1.41-1.49 (m, 2H), 1.01 (t,  $J = 7.2$ Hz, 3H).  **$^{13}C$ -NMR** ( $CDCl_3$ , 100Hz)  $\delta$  194.3, 180.9, 163.7, 161.7, 138.7, 137.0, 129.0, 128.8, 124.8, 123.3, 120.1, 120.0, 102.5, 74.6, 45.4, 32.3, 22.8, 20.3, 15.0, 13.5. **HRMS** Calcd for  $C_{24}H_{28}N_3O_3$  ( $[M + H]^+$ ) 406.2131; Found 406.2118.

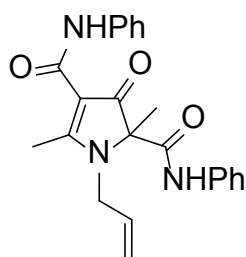
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1-cyclopropyl-2,5-dimethyl-3-oxo- $N^2,N^4$ -diphenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

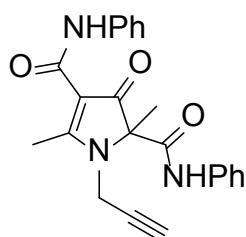
**(2bf)** Yellow solid; mp 191-194 °C.  **$^1H$ -NMR** ( $CDCl_3$ , 400 MHz)  $\delta$  10.03 (s, 1H), 8.40 (s, 1H), 7.60 (d,  $J = 8.0$ Hz, 2H), 7.50 (d,  $J = 8.0$ Hz, 2H), 7.27-7.35 (m, 4H), 7.13 (t,  $J = 7.2$ Hz, 1H), 7.05 (t,  $J = 7.2$ Hz, 1H), 2.98 (s, 3H), 2.90-2.94 (m, 1H), 1.85 (s, 3H), 1.26-1.33 (m, 1H), 1.01-1.15 (m, 2H), 0.91-1.00 (m, 1H).  **$^{13}C$ -NMR** ( $CDCl_3$ , 100Hz)  $\delta$  194.5, 185.2, 164.0, 161.5, 138.5, 137.1, 129.0, 128.8, 124.8, 123.5, 120.3, 120.2, 103.5, 76.7, 27.5, 21.1, 15.7, 7.6, 6.1. **HRMS** Calcd for  $C_{23}H_{24}N_3O_3$  ( $[M + H]^+$ ) 390.1818; Found 390.1798.

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1-allyl-2,5-dimethyl-3-oxo- $N^2,N^4$ -diphenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

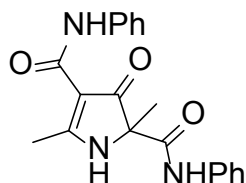
(**2bg**) Yellow solid; mp 136-139 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.08 (s, 1H), 9.25 (s, 1H), 7.63 (d,  $J$  = 8.1Hz, 2H), 7.53(d,  $J$  = 8.1Hz, 2H), 7.29-7.37 (m, 4H), 7.14 (t,  $J$  = 7.2Hz, 1H), 7.06 (t,  $J$  = 7.2Hz, 1H), 5.88-6.00 (m, 1H), 5.21-5.34 (m, 2H), 4.54-4.76 (m, 2H), 2.83 (s, 3H), 1.78 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  194.4, 181.9, 163.8, 161.6, 138.5, 136.9, 132.8, 129.0, 128.8, 124.8, 123.3, 120.0, 119.9, 118.2, 102.6, 74.4, 47.8, 22.6, 15.0. **HRMS** Calcd for  $\text{C}_{23}\text{H}_{24}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 390.1818; Found 390.1845.



2,5-dimethyl-3-oxo- $N^2,N^4$ -diphenyl-1-(prop-2-yn-1-yl)-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(**2bh**) Yellowish solid; mp: 155-157 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  10.01 (s, 1H), 9.28 (s, 1H), 7.62-7.64 (m, 2H), 7.52-7.55 (m, 2H), 7.32-7.37 (m, 4H), 7.05-7.15 (m, 4H), 5.02-5.09 (m, 1H), 4.57-4.64 (m, 1H), 2.97 (s, 3H), 2.40-2.41 (m, 1H), 1.89 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.0, 181.8, 164.0, 161.4, 138.5, 136.8, 129.5, 129.1, 128.8, 125.0, 123.6, 120.1, 103.5, 77.3, 73.8, 65.8, 34.2, 23.0, 14.8. **HRMS** Calcd for  $\text{C}_{23}\text{H}_{22}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 388.1661; Found 388.1668.

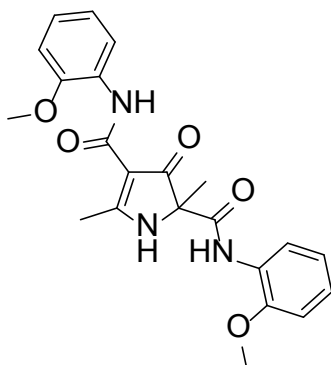
**Synthesis and analytical data for compounds 2ca and 2cb.** (with **2ca** as an example): To a solution of the **1a** (2 mmol) and  $\text{NH}_4\text{OAc}$  (1.2 mmol) dissolved in DMF (6 mL) was added 0.1 equiv of  $\text{CuBr}_2$  (0.2 mmol) and 0.5 equiv of 4-methylbenzenesulfonic acid (1 mmol). The mixture was warmed to 100 °C and stirred for 6 h. When **1a** disappeared (monitored by TLC), the reaction mixture was then treated with 50 mL brine, and extracted with dichloromethane ( $2 \times 50$  mL). The combined organic layer was washed with brine ( $3 \times 50$  mL), dried over  $\text{MgSO}_4$  and filtered. The filtrate was concentrated in *vacuum*, and then purified by silica gel column chromatography to give **2ca** as a red solid.



2,5-dimethyl-3-oxo- $N^2,N^4$ -diphenyl-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

(**2ca**) Red solid; mp 202-205 °C.  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ , 300 MHz)  $\delta$  9.87 (s, 1H), 9.32 (s, 1H), 8.06 (s, 1H), 7.64 (d,  $J$  = 8.4Hz, 2H), 7.58 (d,  $J$  = 8.1Hz, 2H), 7.30-7.39 (m, 4H), 7.18 (t,  $J$  = 7.2Hz, 1H), 7.08 (t,  $J$  = 7.2Hz, 1H), 2.77 (s, 3H), 1.77 (s, 3H).  $^{13}\text{C-NMR}$  ( $\text{CDCl}_3$ , 100Hz)  $\delta$  195.9, 181.7, 164.8, 161.6, 138.3, 136.4, 129.0, 128.8, 125.3, 123.5, 120.2, 120.0, 102.5, 70.3, 25.0, 17.4. **HRMS** Calcd for  $\text{C}_{20}\text{H}_{20}\text{N}_3\text{O}_3$  ( $[\text{M} + \text{H}]^+$ ) 350.1505; Found 350.1551.



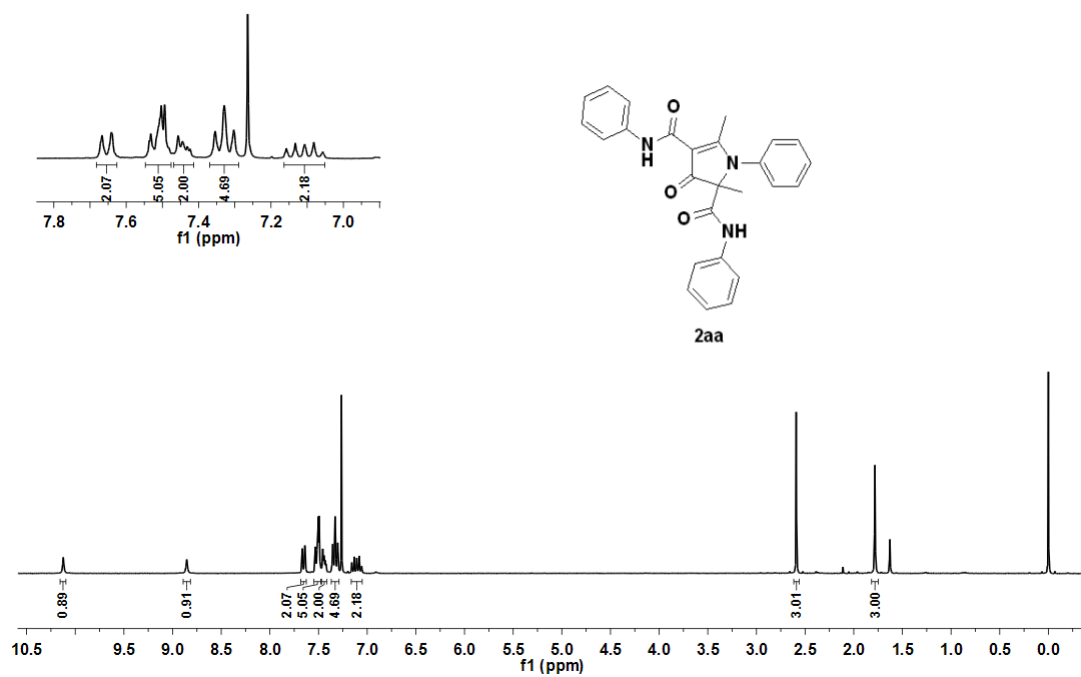


*N*<sup>2</sup>,*N*<sup>4</sup>-bis(4-methoxyphenyl)-2,5-dimethyl-3-oxo-2,3-dihydro-1*H*-pyrrole-2,4-dicarboxamide

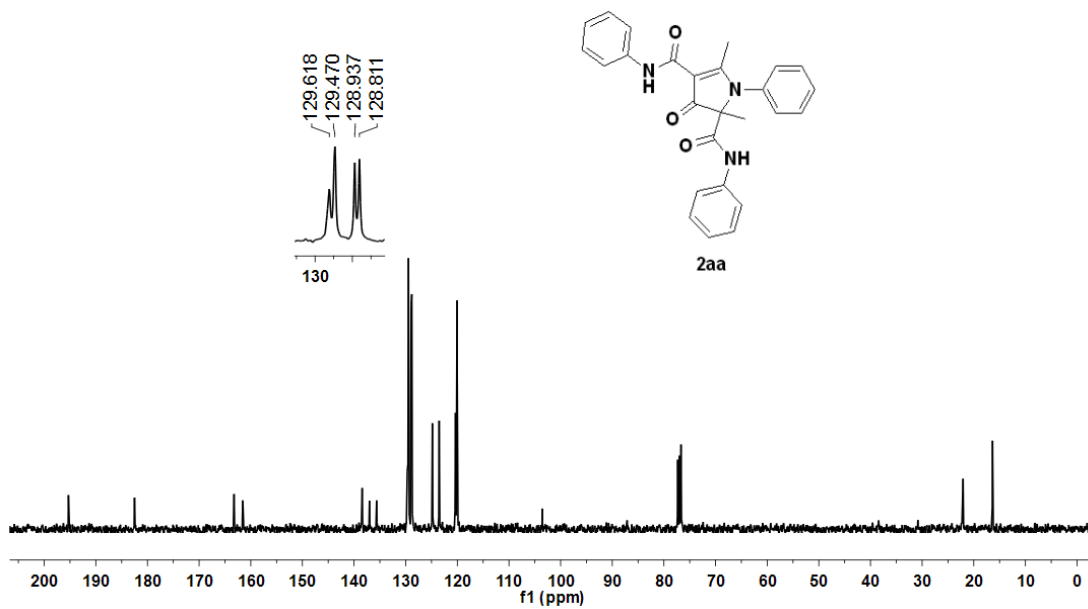
**(2cb)** Red solid; mp 113-115 °C. **<sup>1</sup>H-NMR** (DMSO, 300 MHz) δ 10.77 (s, 1H), 10.40 (s, 1H), 9.63 (s, 1H), 8.39 (d, *J* = 7.8Hz, 1H), 8.08 (d, *J* = 7.8Hz, 1H), 6.86-7.09 (m, 6H), 3.91 (s, 6H), 2.64 (s, 3H), 1.63 (s, 3H). **<sup>13</sup>C-NMR** (DMSO, 100Hz) δ 195.1, 181.0, 163.8, 161.3, 148.8, 147.7, 128.4, 126.4, 124.7, 122.5, 120.6, 120.5, 119.8, 119.0, 111.2, 110.7, 100.9, 70.9, 56.0, 55.9, 23.4, 16.8. **HRMS** Calcd for C<sub>22</sub>H<sub>24</sub>N<sub>3</sub>O<sub>5</sub> ([M + H]<sup>+</sup>) 410.1716; Found 410.1788.

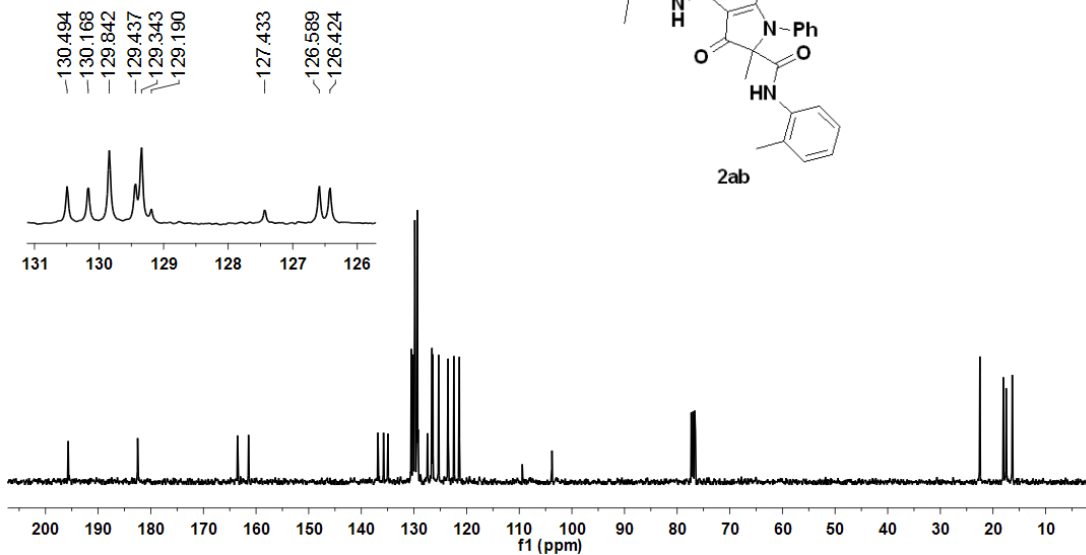
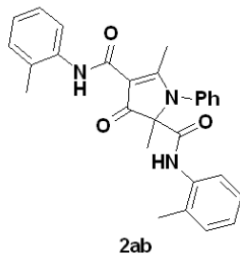
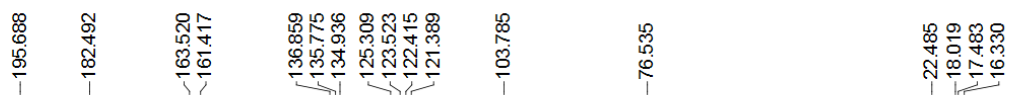
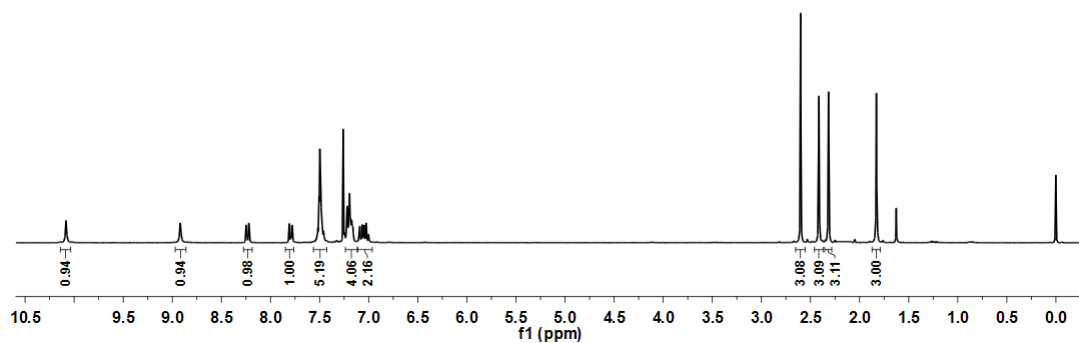
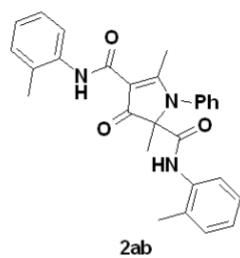
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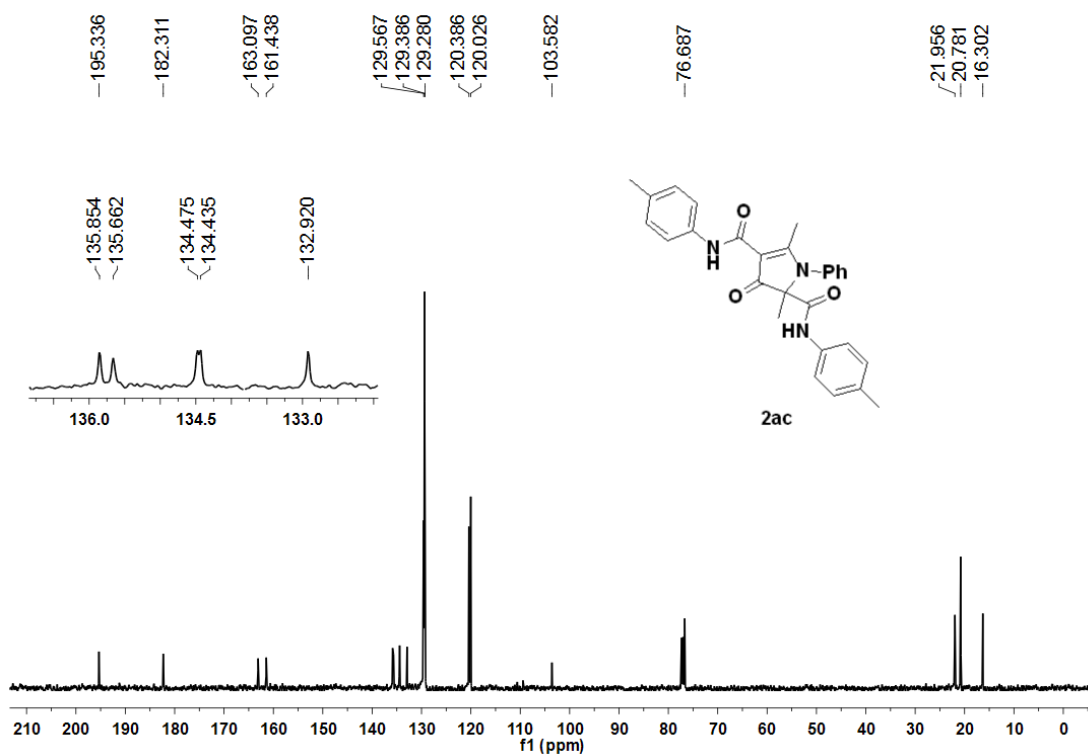
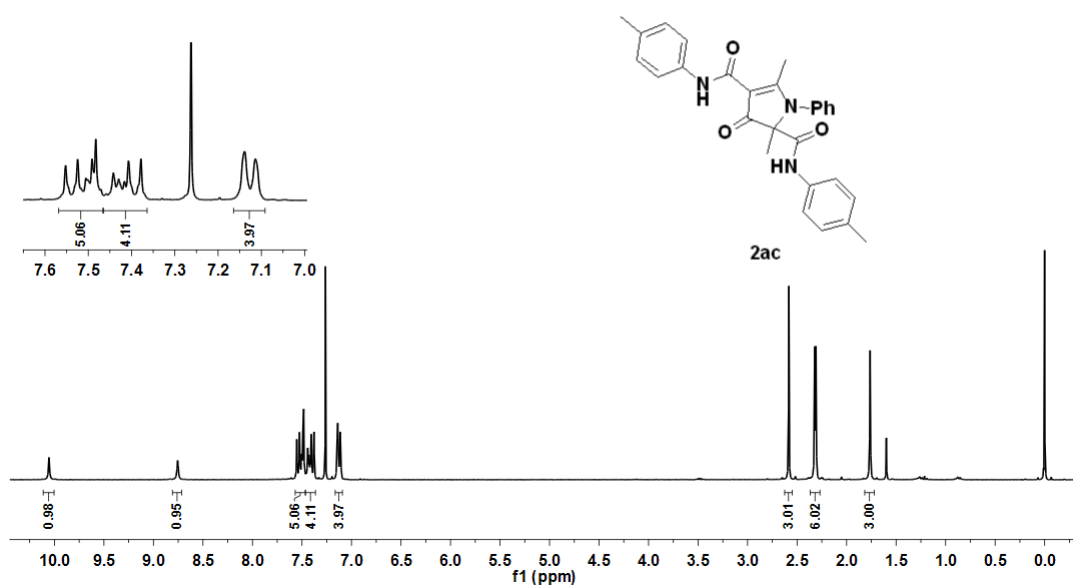
### III. <sup>1</sup>H- and <sup>13</sup>C-NMR Spectra Copies

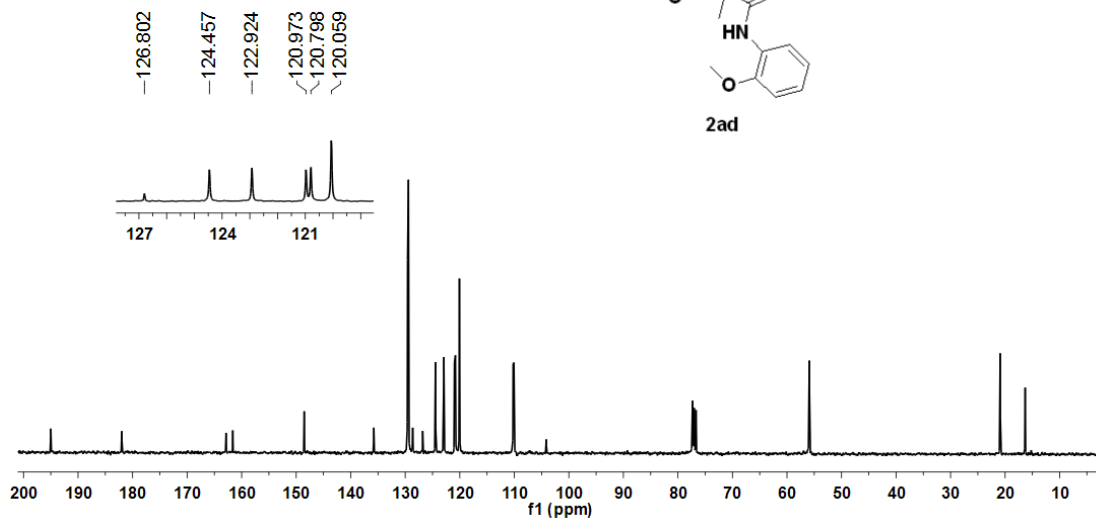
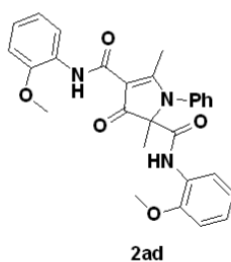
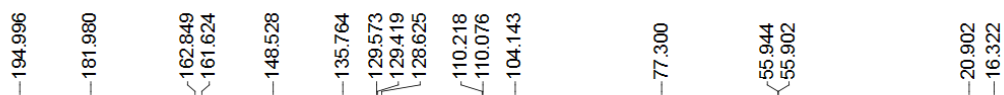
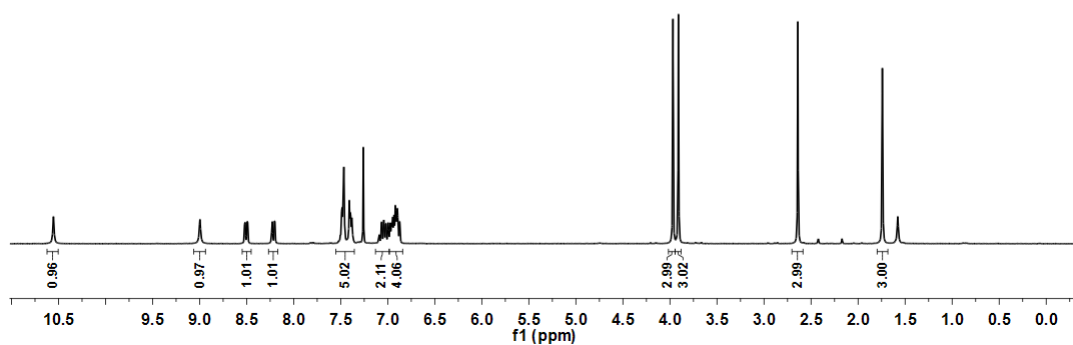
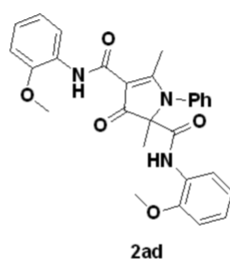


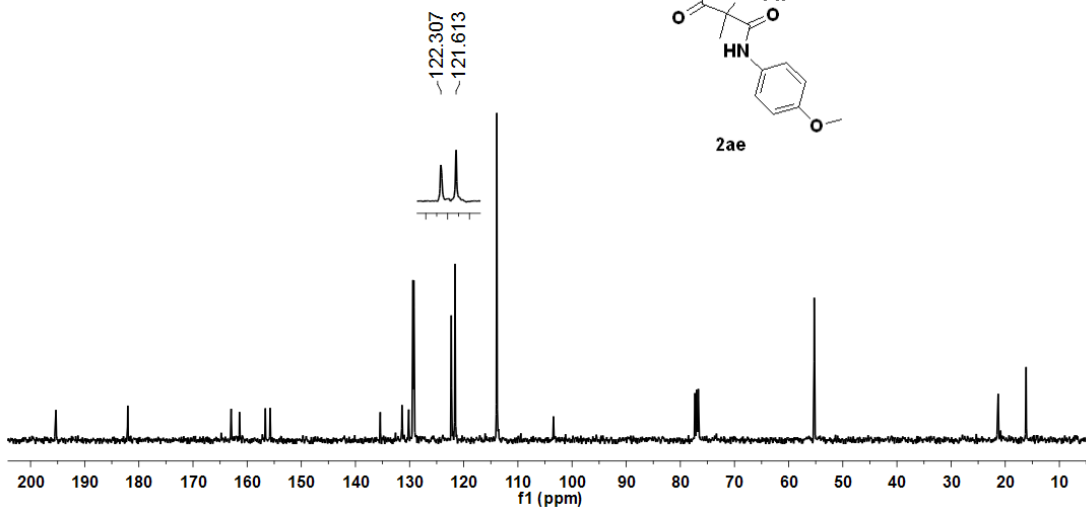
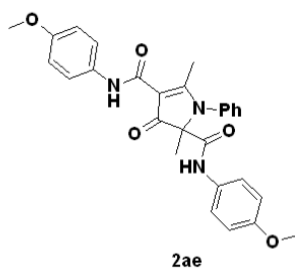
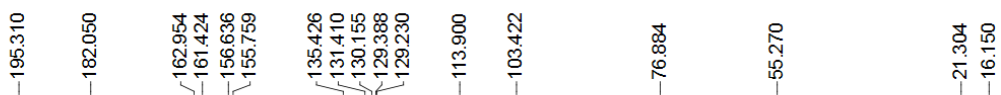
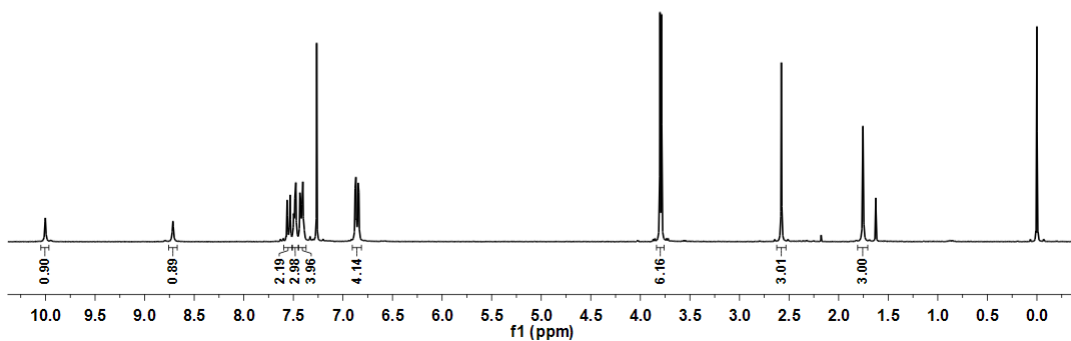
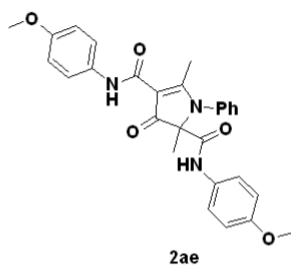
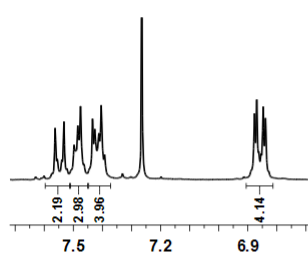
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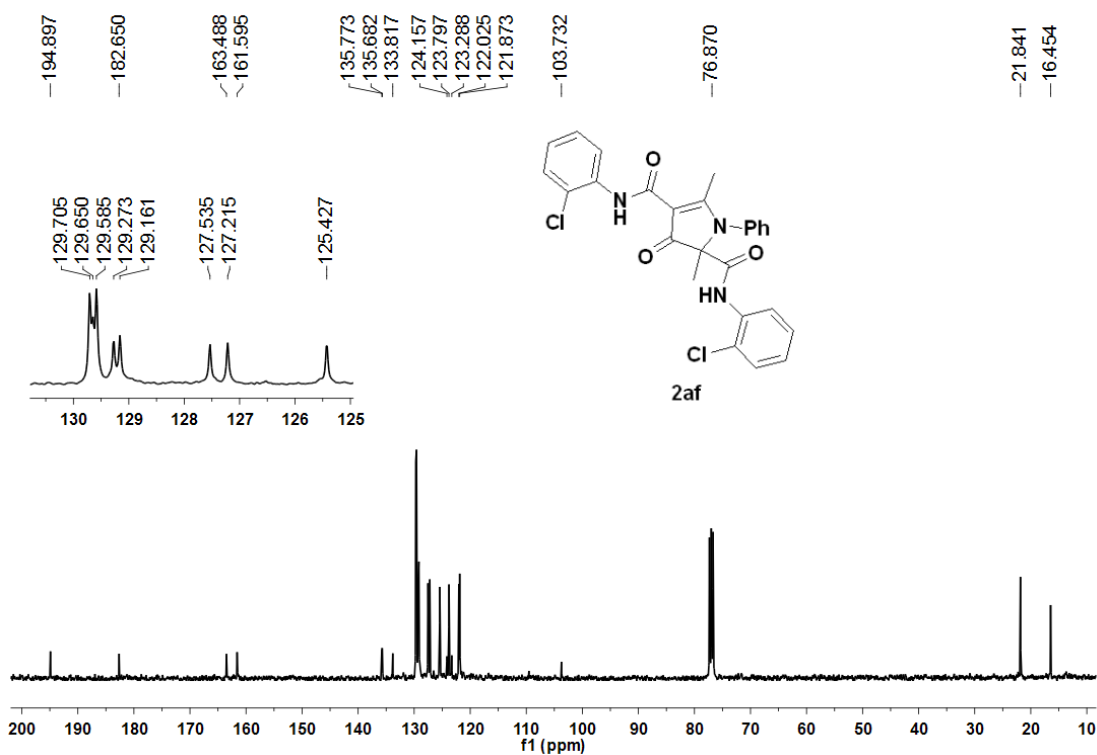
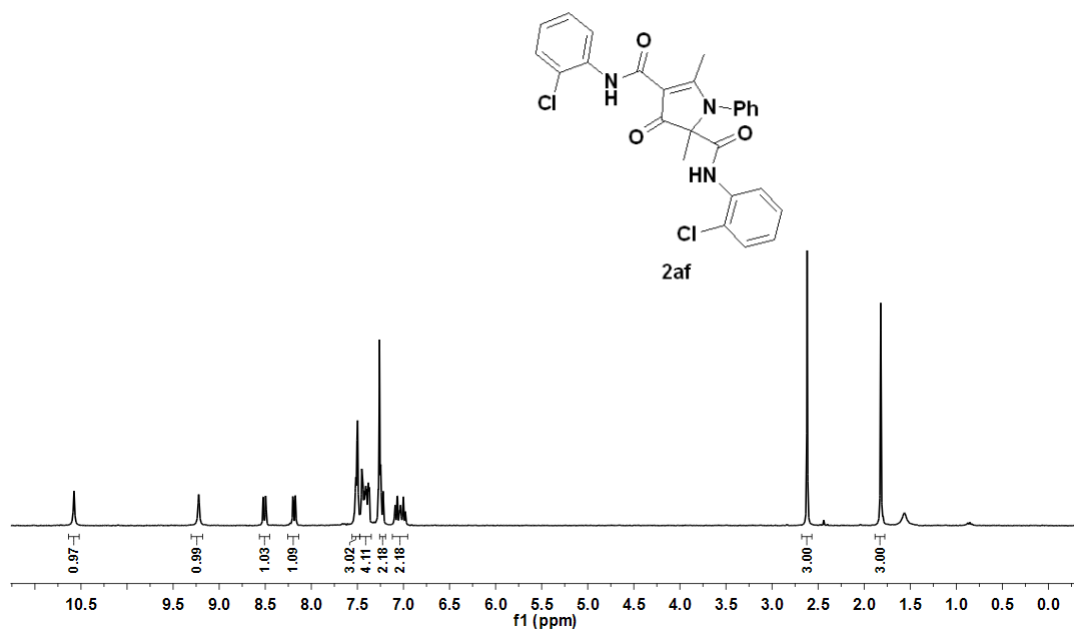


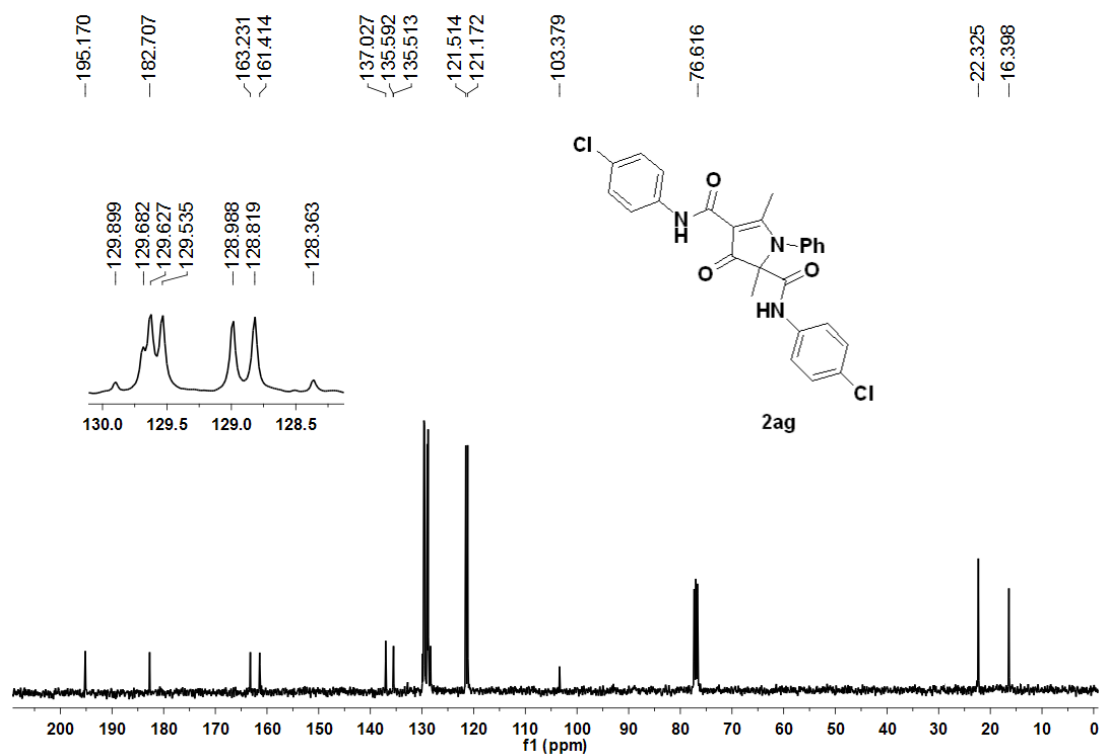
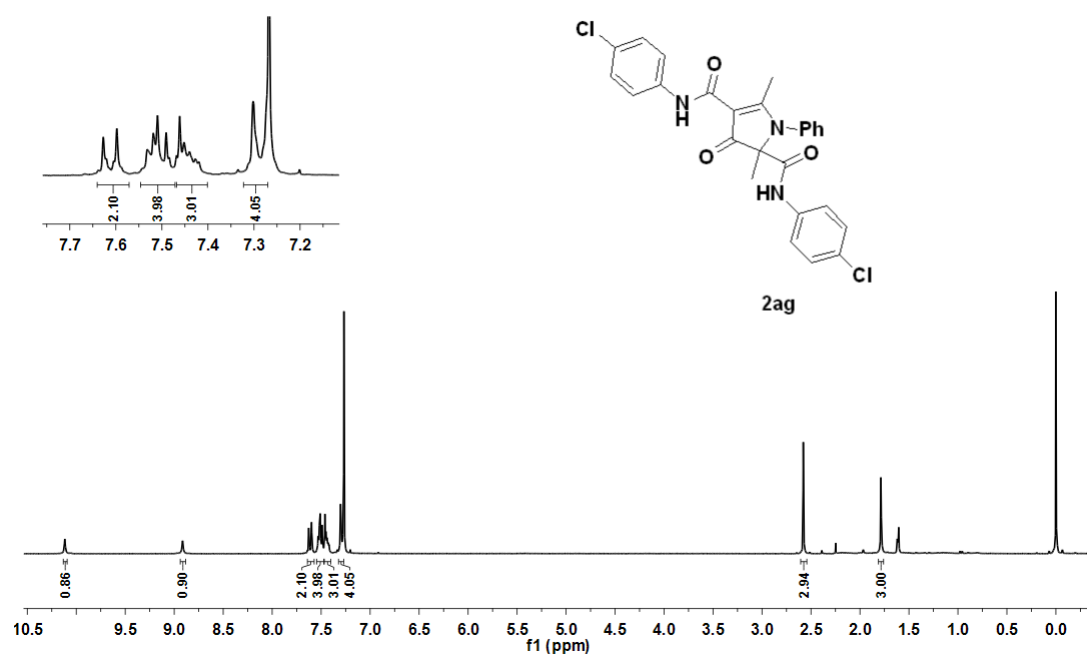




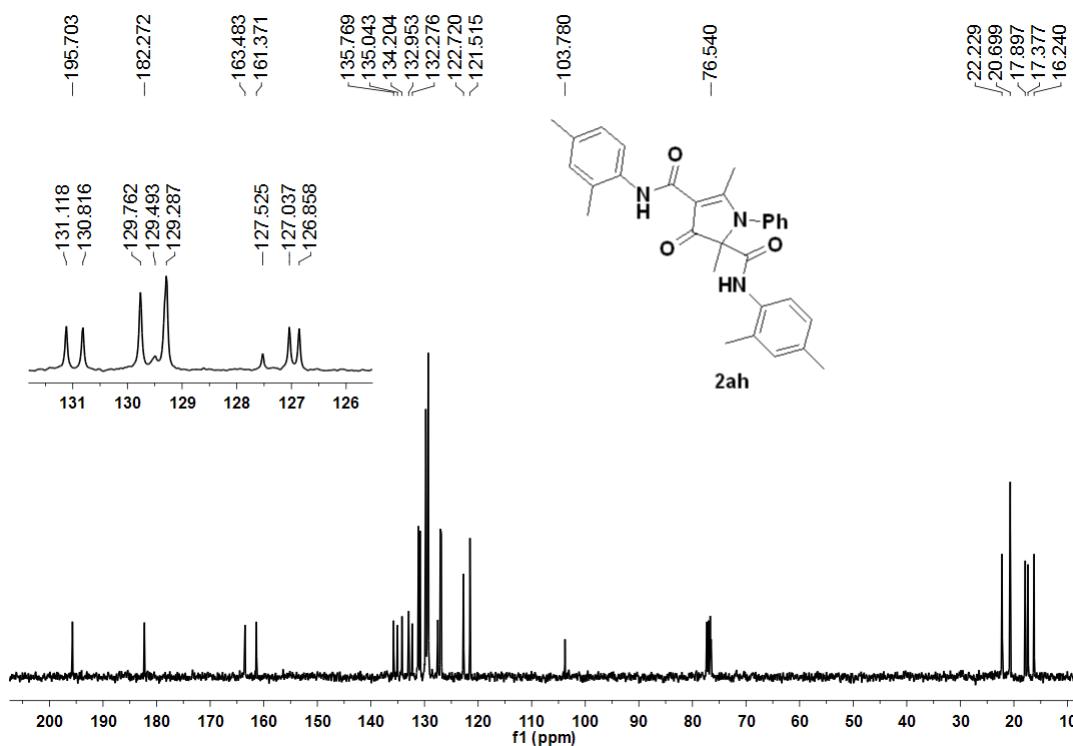
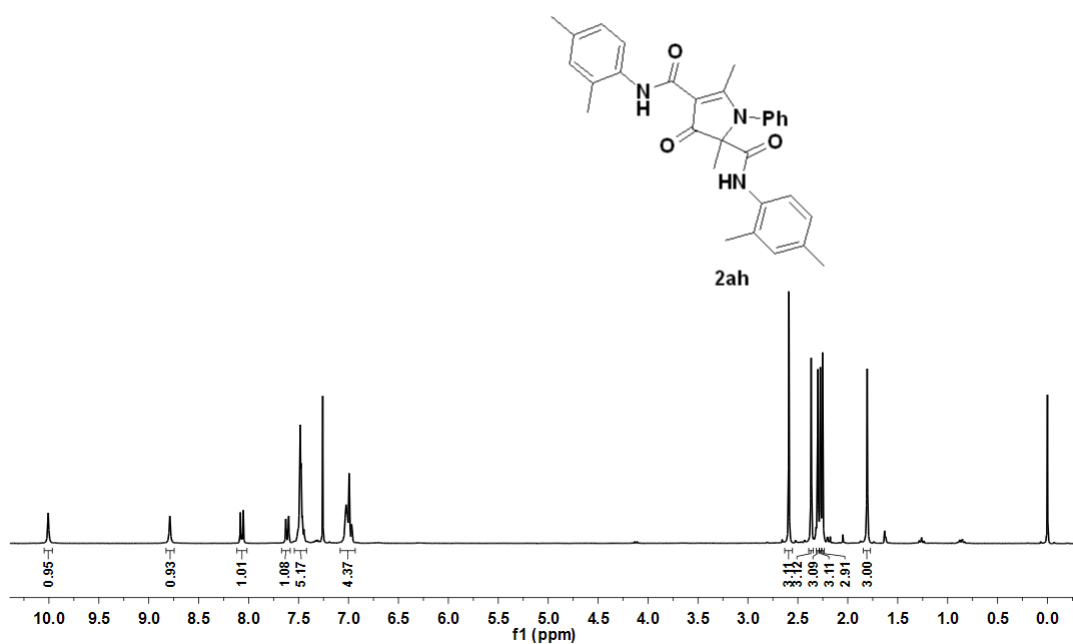


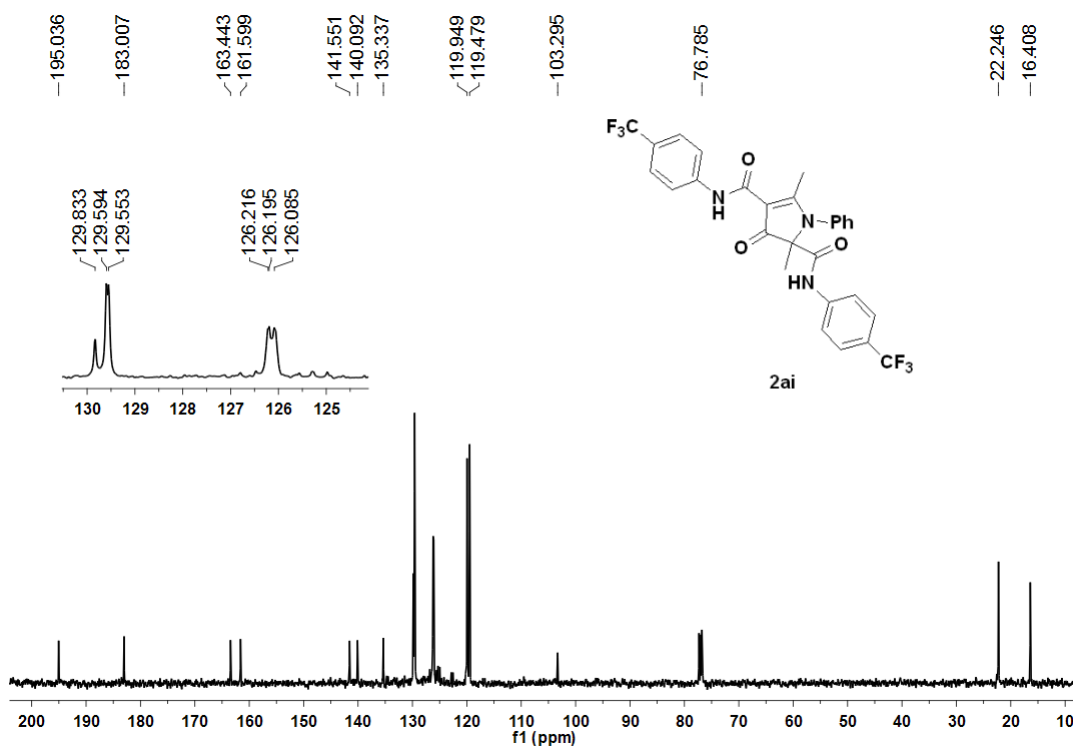
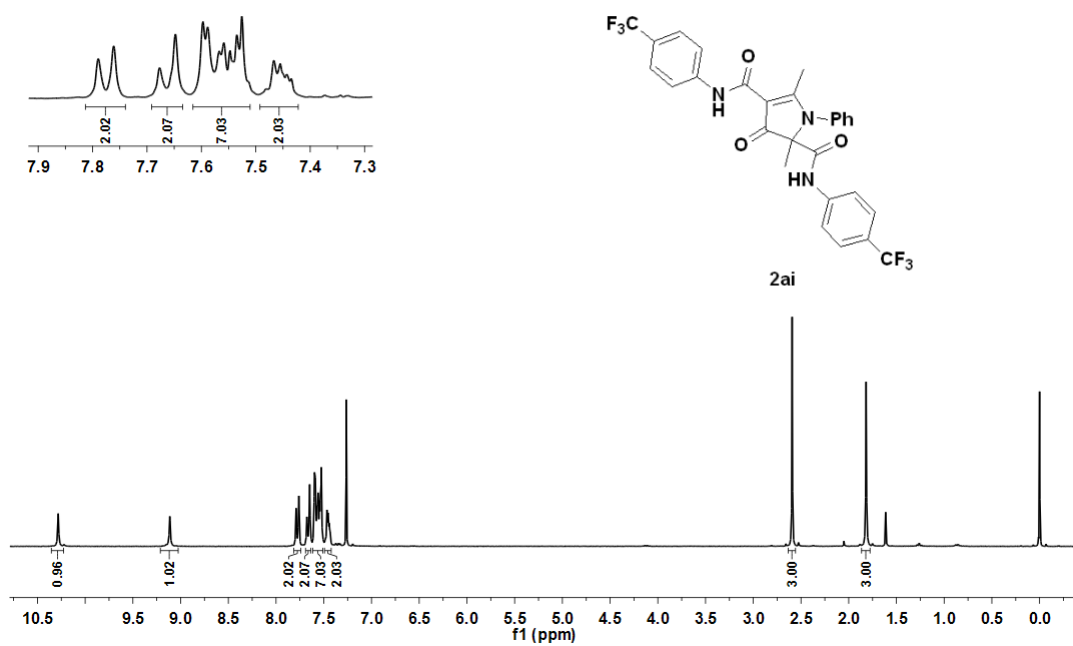


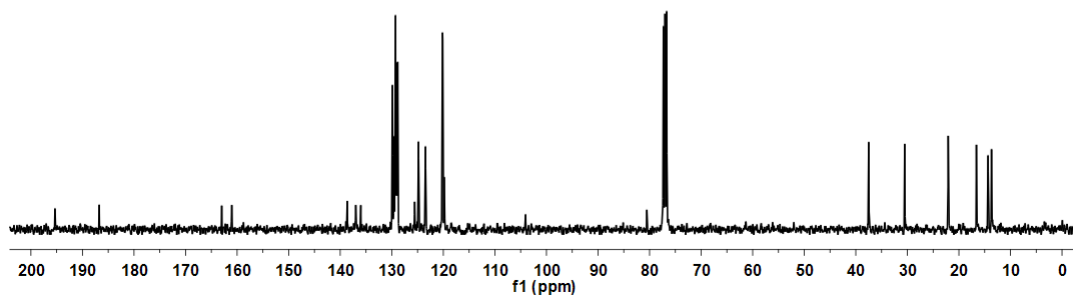
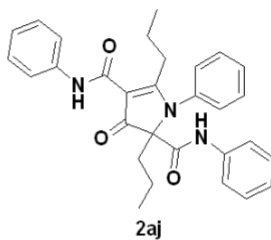
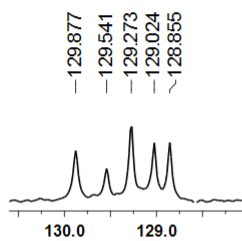
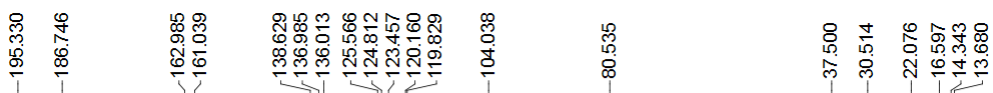
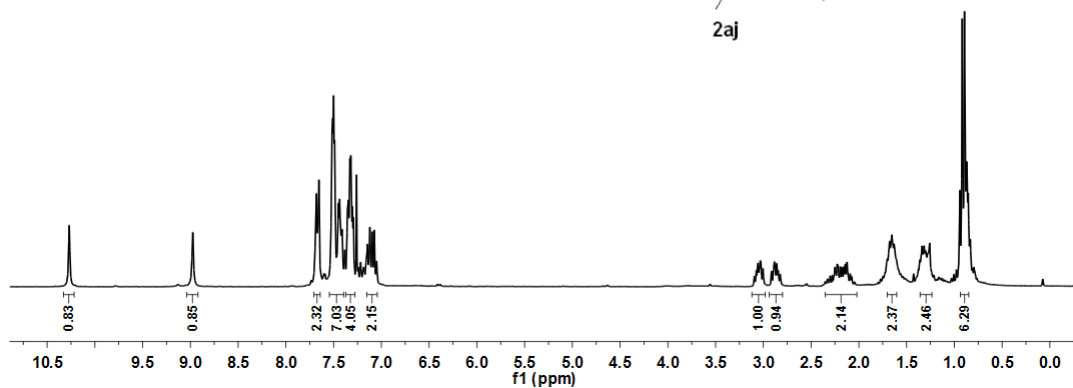
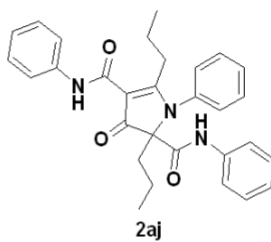


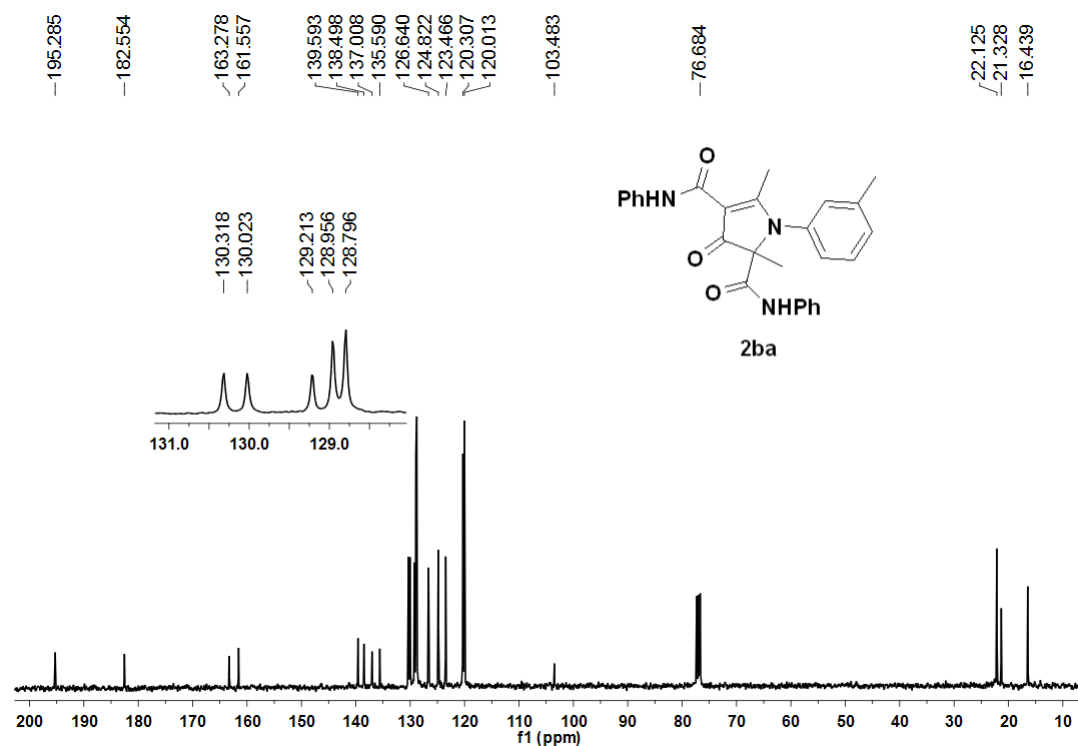
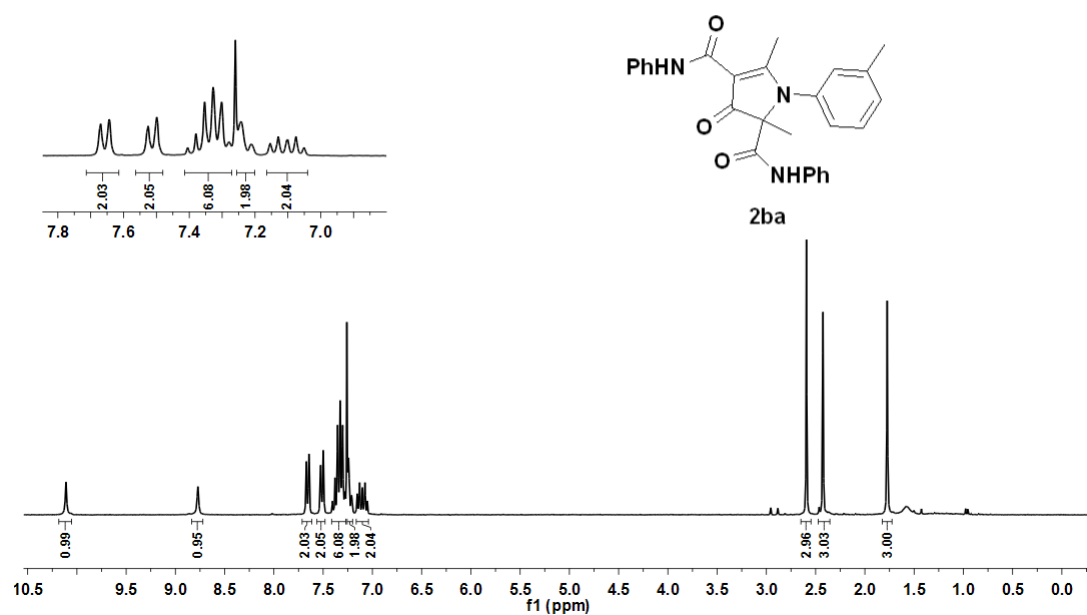


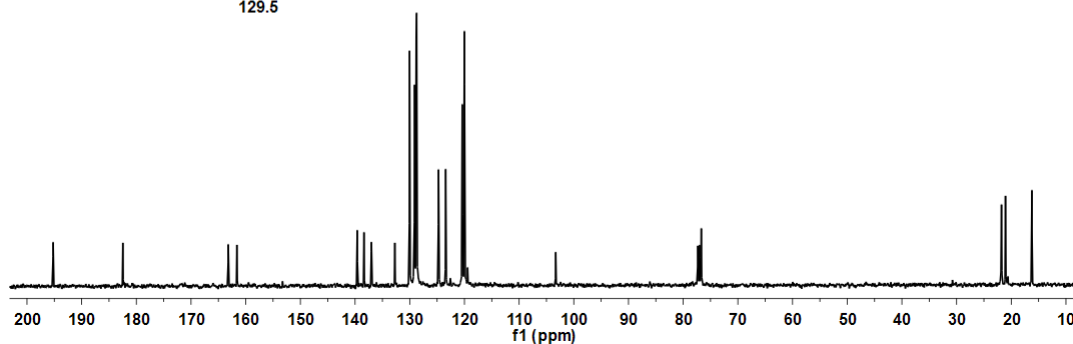
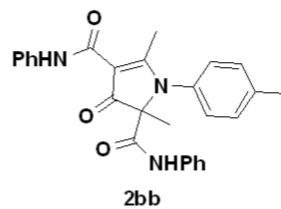
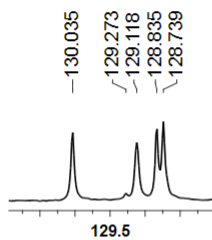
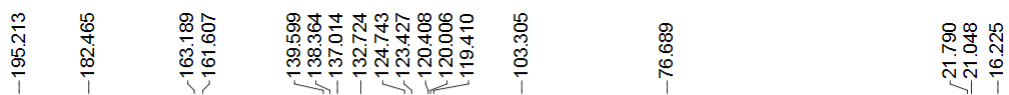
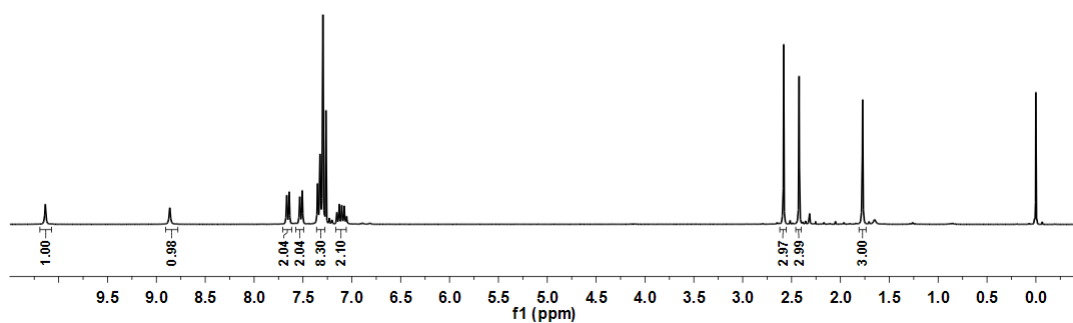
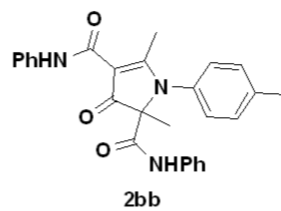
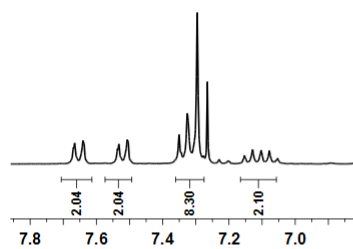


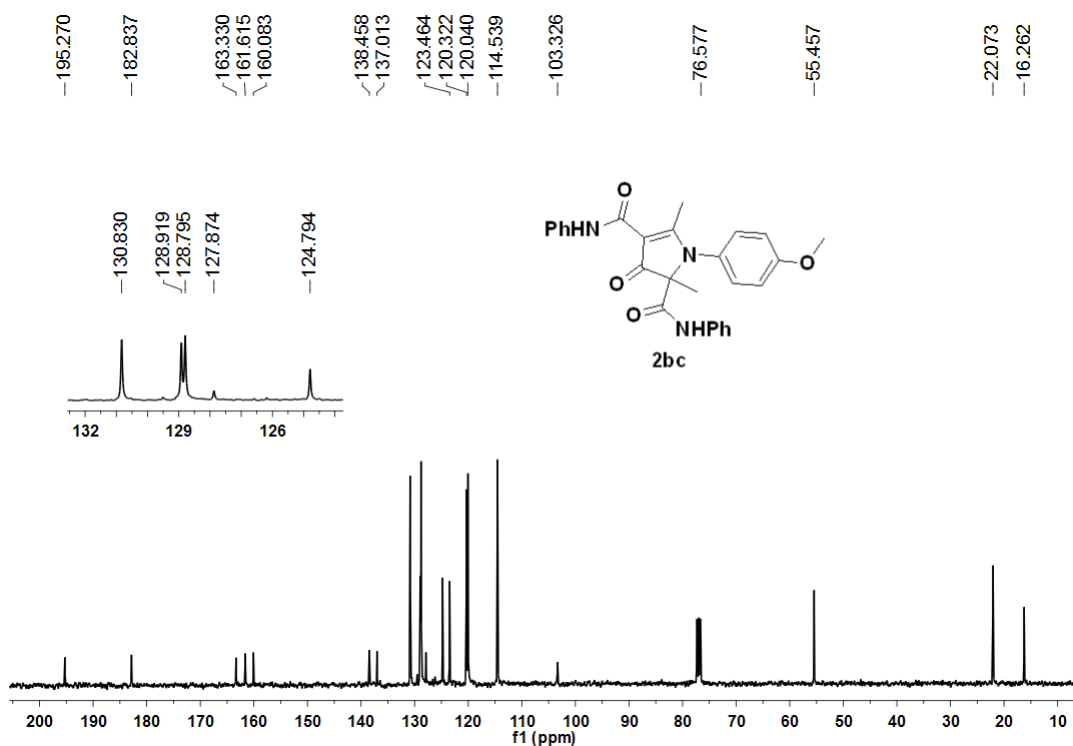
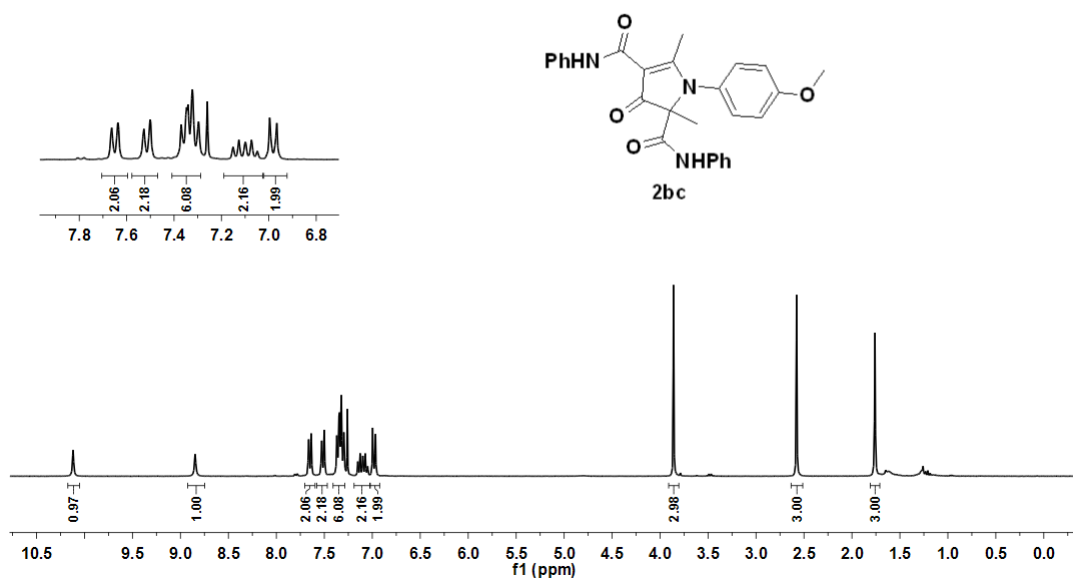


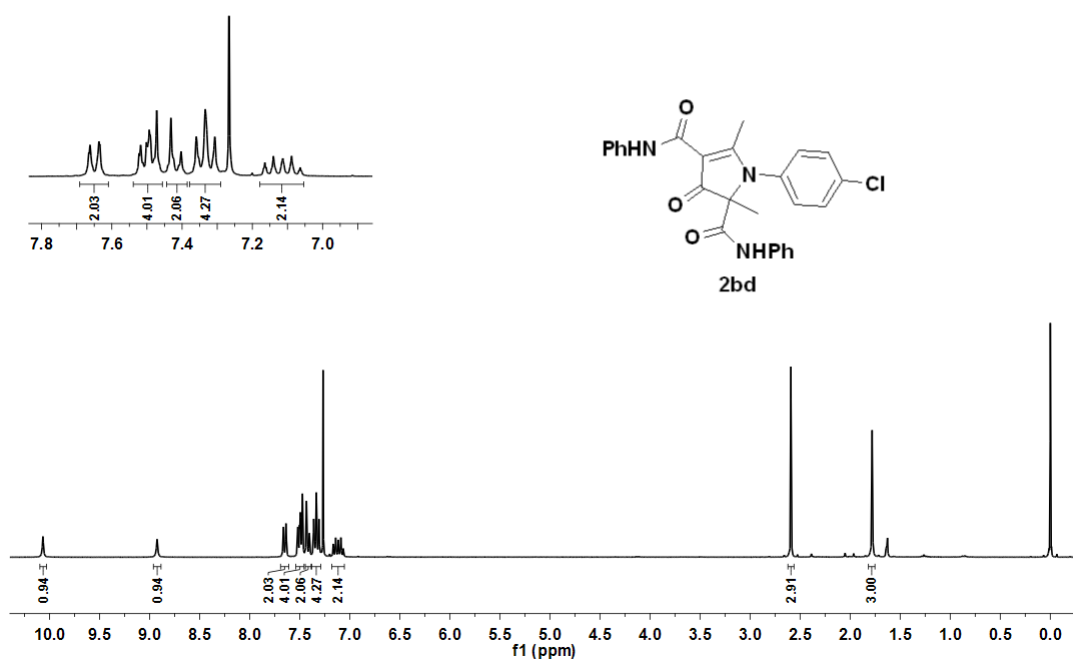




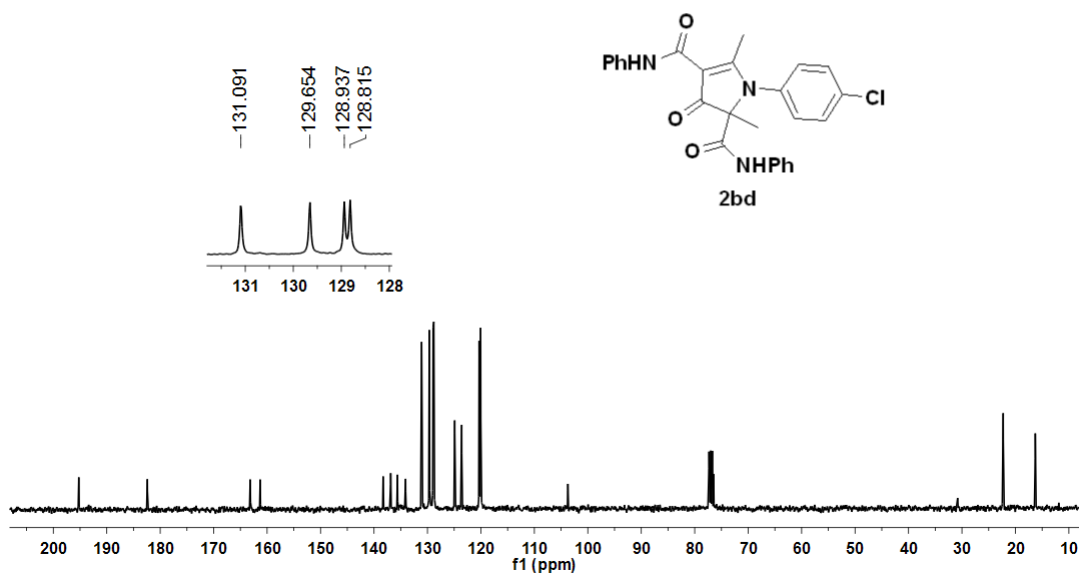




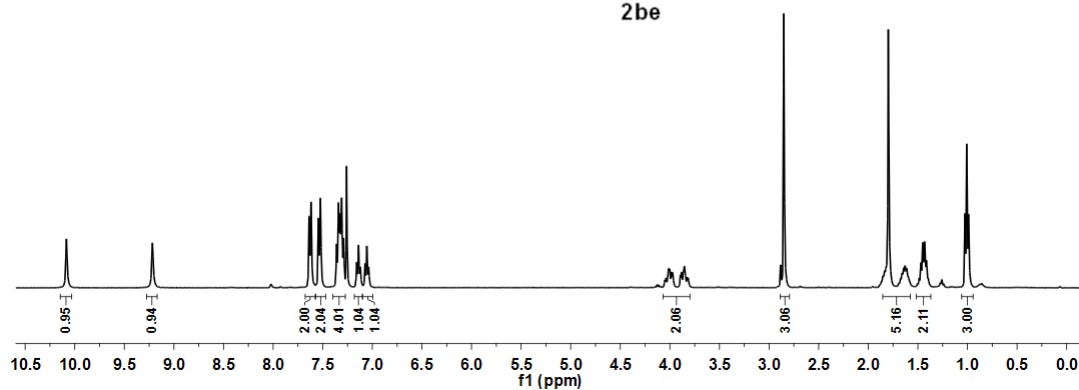
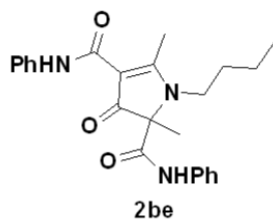




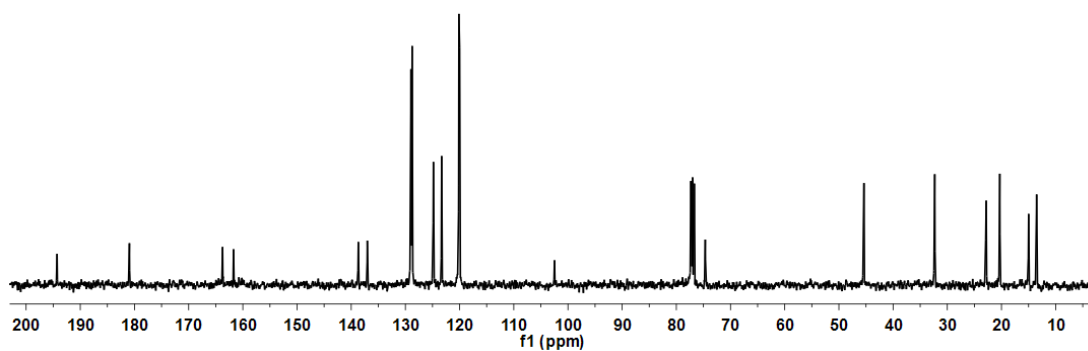
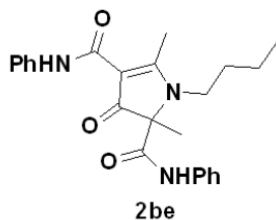
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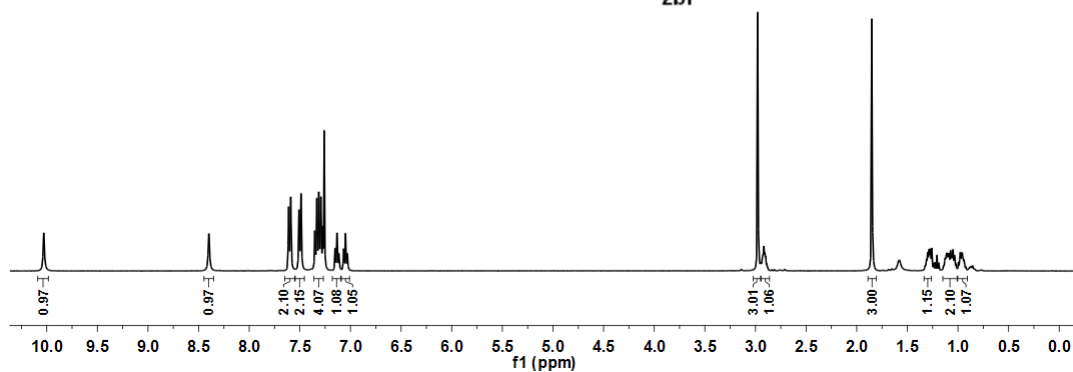
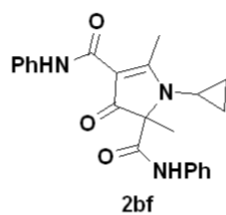
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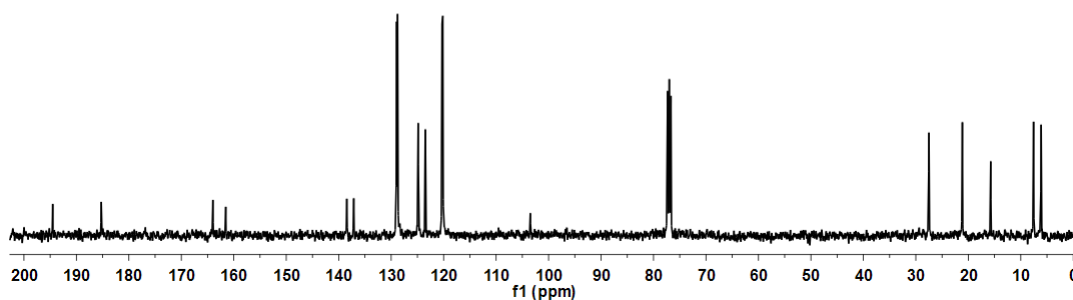
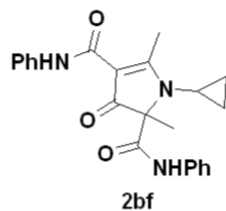
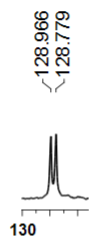
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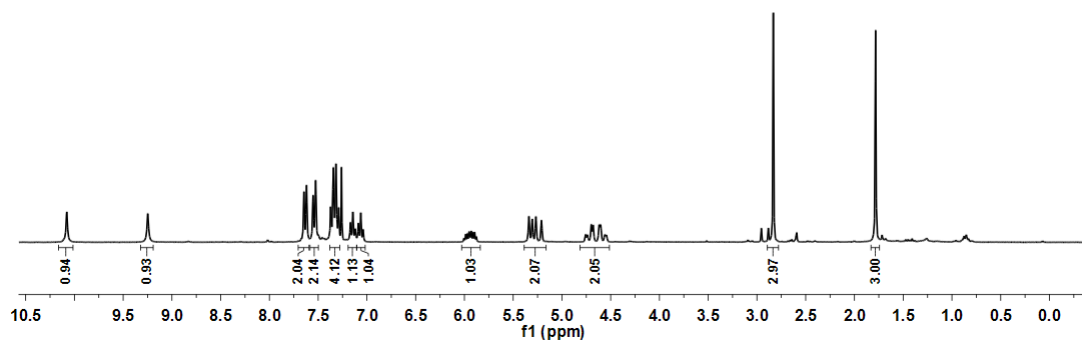
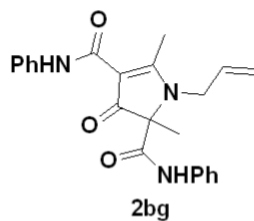
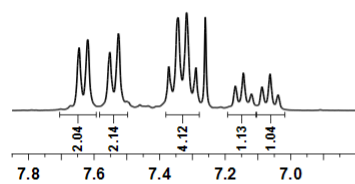




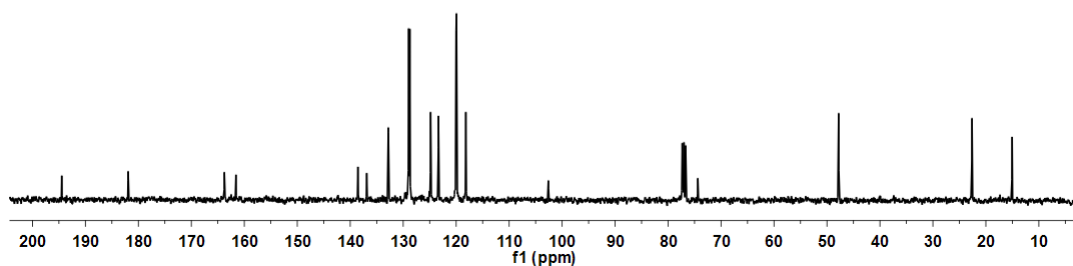
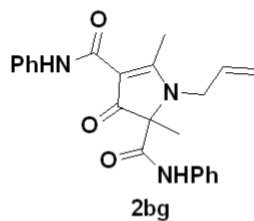
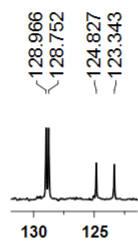


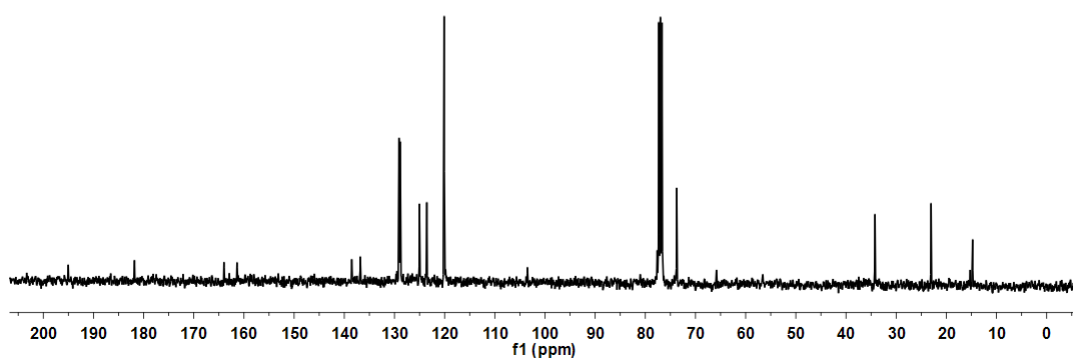
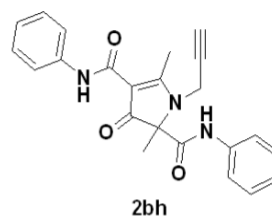
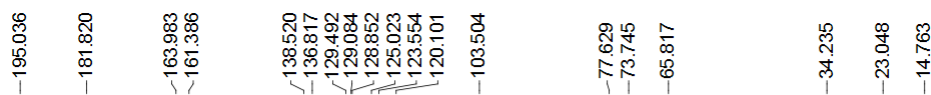
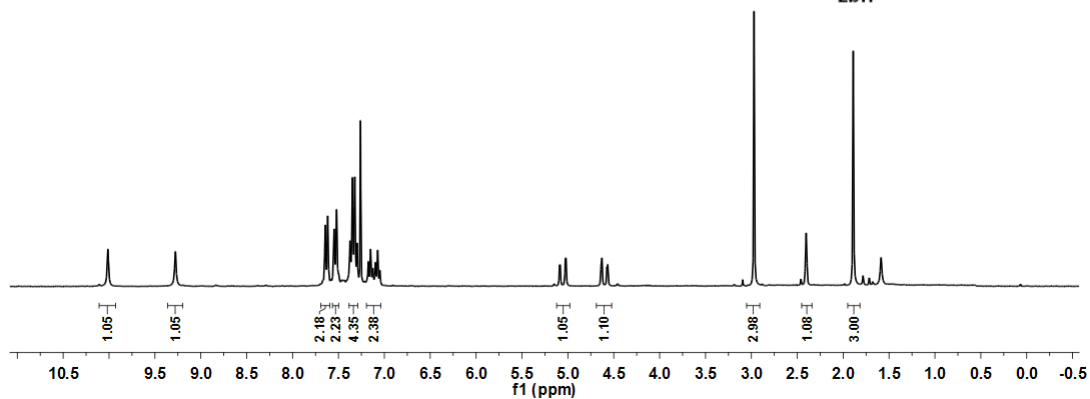
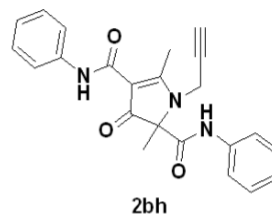
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185.253  
163.976  
161.536  
138.458  
137.136  
124.850  
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103.479  
76.683  
27.508  
21.123  
15.725  
7.563  
6.118

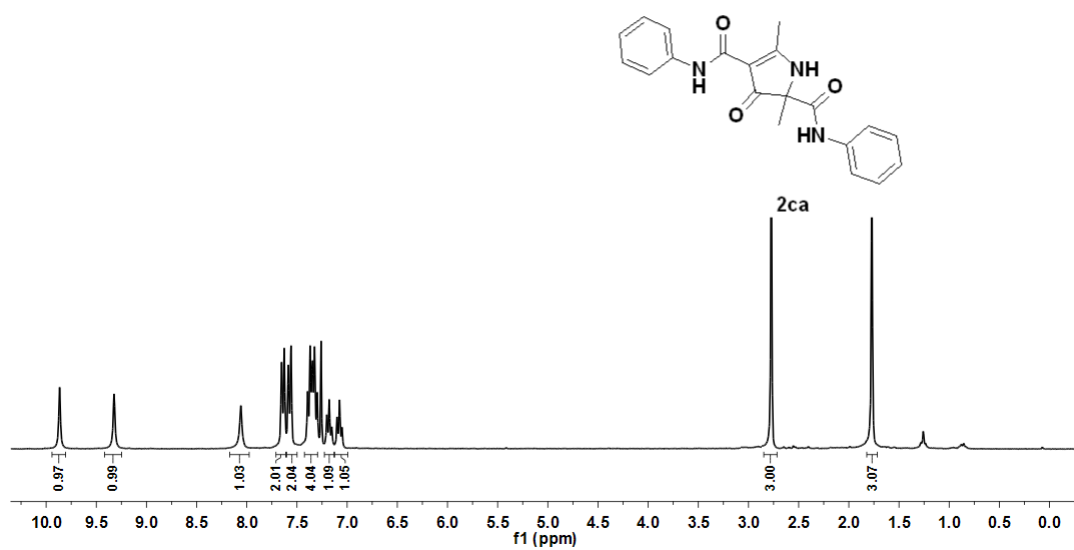




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163.766  
161.569  
138.544  
136.888  
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120.023  
119.943  
118.174  
102.595  
74.386  
47.796  
22.611  
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-181.686

-164.753

-161.578

138.347

-136.419

125.322

123.510

120.178

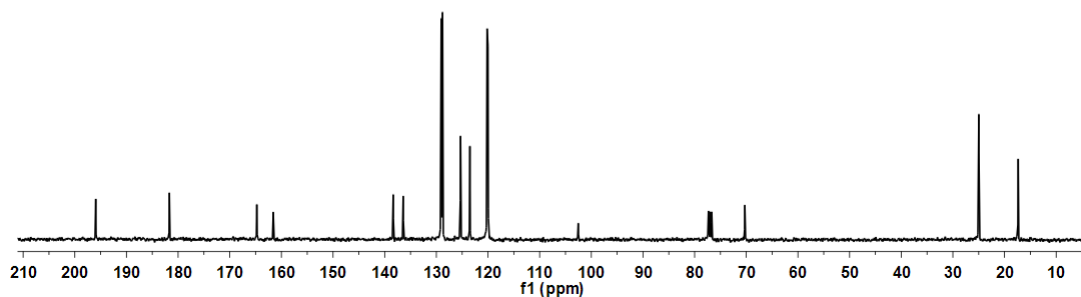
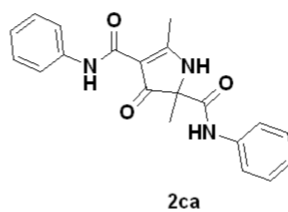
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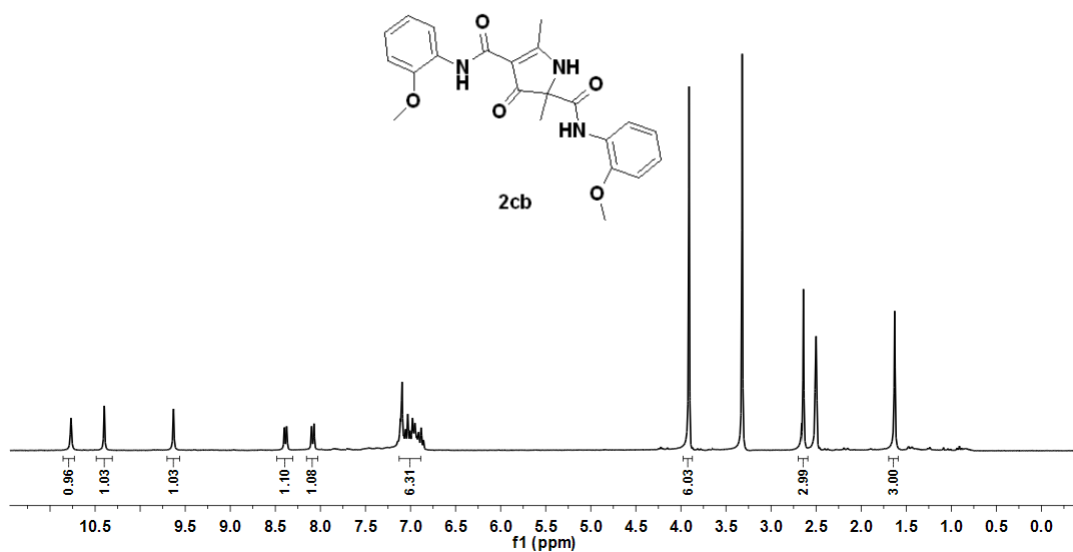
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-24.982

-17.350





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111.204  
110.705  
100.861  
70.898  
56.054  
55.868  
23.405  
16.837

