

Supporting Information

Metal- and Base-Free Regioselective Cascade Sulfonylation-cyclization of 1,5-Dienes via the Insertion of Sulfur Dioxide: Access to Pyrrolines and Pyrrolinones

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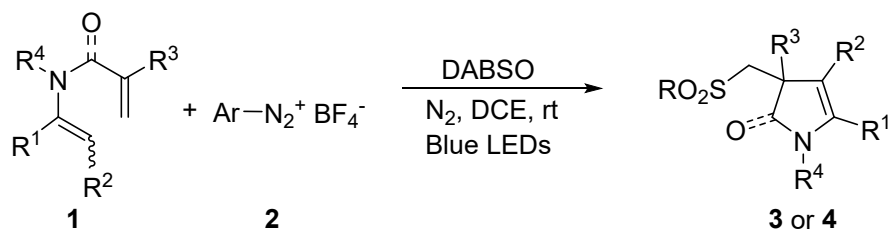
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1. General information

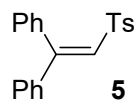
Unless otherwise noted, all reagents and solvents were purchased from commercial suppliers and used without further purification. DABSO and solvents were purchased from Leyan company and used without further purification. Aiazonium salts were freshly prepared according to the literature.² ¹H-NMR and ¹³C-NMR spectra were recorded at 25 °C on Bruker Advance 600M or 400M NMR spectrometers (CDCl₃, DMSO as solvent). Chemical shifts for ¹H NMR spectra are reported as δ in units of parts per million (ppm) downfield from SiMe₄ (δ 0.0) and relative to the signal of SiMe₄ (δ 0.00 singlet). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublet of doublets); dt (doublet of triplets); m (multiplets) and *etc.* Coupling constants are reported as a *J* value in Hz. ¹³C NMR spectra are reported as δ in units of parts per million (ppm) downfield from SiMe₄ (δ 0.0) and relative to the signal of chloroform-d (δ 77.00 triplet). High resolution mass spectral analysis (HRMS) was performed on WaterXEVOG2 Q-TOF (Waters Corporation). Flash chromatography was performed using 200-300 mesh silica gel with the indicated solvent system.

2. Procedure for the synthesis of compound 3a – 3p, 4a – 4l.

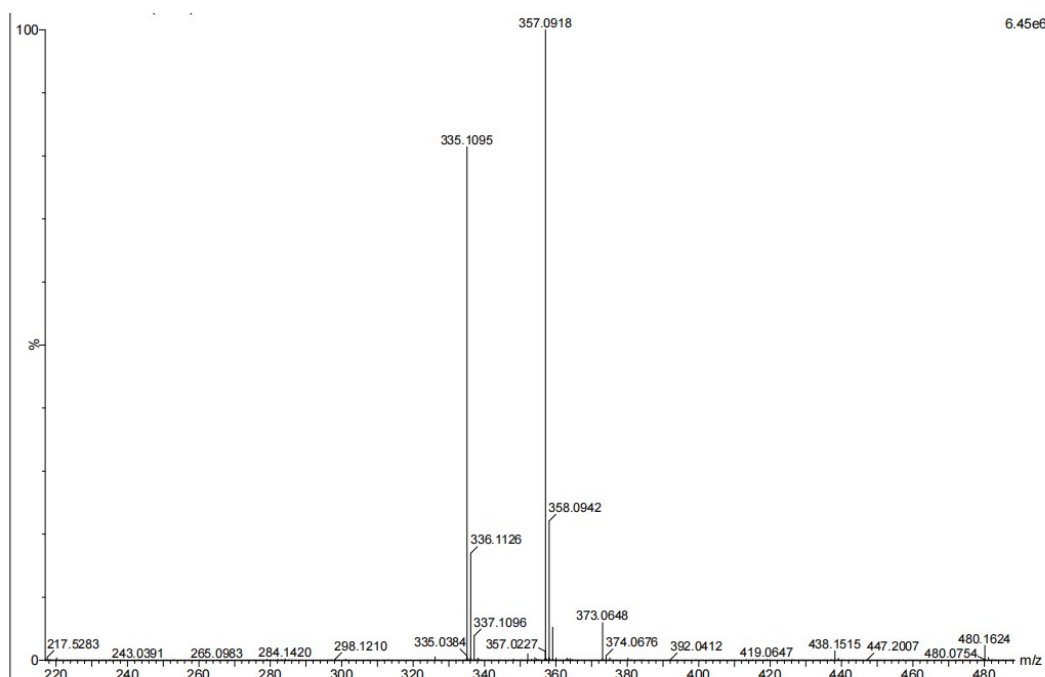


A dry 25-mL Schlenk tube containing a magnetic stirring bar was charged with 1,5-diene (0.1 mmol), aryldiazonium tetrafluoroborate (0.15 mmol), DABSO (0.12 mmol), and DCE (1mL). Then the mixture was charged with N_2 and irradiated with blue LEDs at room temperature for 12 h. After finishing, the reaction mixture was concentrated on a rotary evaporator and the residue was directly subjected to flash column chromatography on silica gel with (10-30% EtOAc/Petroleum ether) as eluate to furnish the desired product.

3. The radical trapping reaction residue was analyzed by high resolution mass spectrometry (HRMS).



HRMS (ESI, m/z): Calcd. For $C_{21}H_{18}NSO_2Na$ $[M+Na]^+$ 357.0920, found: 357.0918.



Elemental Composition Report

Page 1

Single Mass Analysis

Tolerance = 10.0 PPM / DBE: min = -1.5, max = 50.0

Element prediction: Off

Number of isotope peaks used for i-FIT = 3

Monoisotopic Mass, Even Electron Ions

337 formula(e) evaluated with 1 results within limits (up to 50 closest results for each mass)

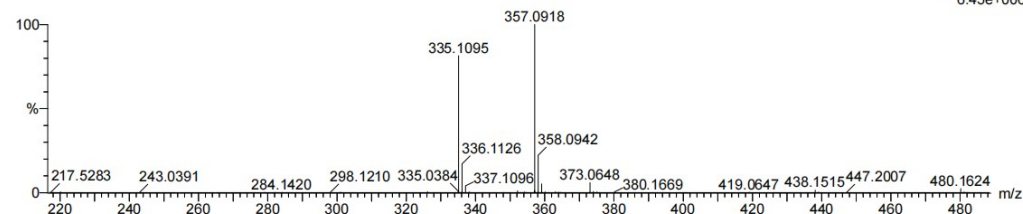
Elements Used:

C: 21-21 H: 0-30 N: 0-10 O: 0-20 S: 1-1 Na: 0-1

3

0307-4-RD-439-2 161 (0.909)

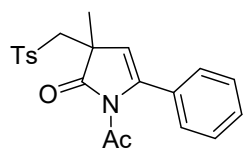
1: TOF MS ES+
6.45e+006



Minimum: -1.5
Maximum: 5.0 10.0 50.0

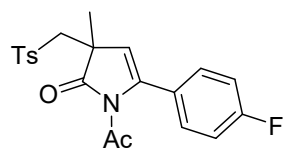
Mass	Calc. Mass	mDa	PPM	DBE	i-FIT	Norm	Conf(%)	Formula
357.0918	357.0925	-0.7	-2.0	12.5	1125.4	n/a	n/a	C ₂₁ H ₁₈ O ₂ SNa

1-acetyl-3-methyl-5-phenyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3a)



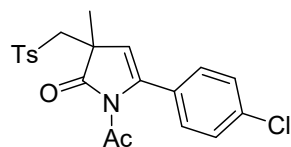
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.71 (d, *J* = 8.1 Hz, 2H), 7.41 – 7.28 (m, 4H), 5.51 (s, 1H), 3.69 (d, *J* = 14.4 Hz, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 2.49 (s, 3H), 2.42 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.60, 169.23, 145.05, 142.88, 136.57, 132.68, 129.89, 128.50, 128.22, 127.88, 126.79, 115.54, 62.17, 47.77, 26.00, 24.57, 21.60.

1-acetyl-5-(4-fluorophenyl)-3-methyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3b)



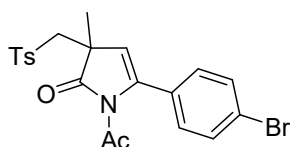
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.71 (d, *J* = 8.2 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.24 (dd, *J* = 8.6, 5.3 Hz, 2H), 7.05 (t, *J* = 8.6 Hz, 2H), 5.53 (s, 1H), 3.68 (d, *J* = 14.3 Hz, 1H), 3.45 (d, *J* = 14.3 Hz, 1H), 2.50 (s, 3H), 2.43 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.56, 169.32, 162.72 (*J* = 252 Hz), 145.14, 142.02, 136.61, 129.93, 128.84, 128.78, 128.14, 115.65, 115.02, 114.88, 62.21, 47.68, 26.05, 24.53, 21.62. ¹⁹F NMR (565 MHz, CDCl₃) δ -112.68.

1-acetyl-5-(4-chlorophenyl)-3-methyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3c)



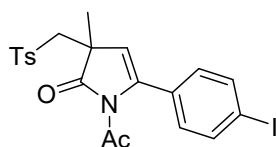
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.70 (d, *J* = 8.1 Hz, 2H), 7.33 (d, *J* = 8.4 Hz, 2H), 7.29 (d, *J* = 8.1 Hz, 2H), 7.19 (d, *J* = 8.4 Hz, 2H), 5.56 (s, 1H), 3.68 (d, *J* = 14.3 Hz, 1H), 3.45 (d, *J* = 14.3 Hz, 1H), 2.50 (s, 3H), 2.43 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.46, 169.26, 145.16, 141.91, 136.56, 134.40, 131.26, 129.95, 128.24, 128.13, 128.13, 116.05, 62.20, 47.75, 25.97, 24.48, 21.62.

1-acetyl-5-(4-bromophenyl)-3-methyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3d)



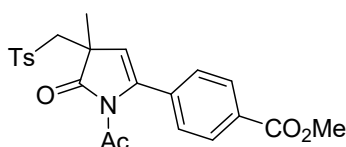
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.70 (d, *J* = 8.1 Hz, 2H), 7.48 (d, *J* = 8.3 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.13 (d, *J* = 8.3 Hz, 2H), 5.56 (s, 1H), 3.68 (d, *J* = 14.3 Hz, 1H), 3.45 (d, *J* = 14.3 Hz, 1H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.46, 169.26, 145.18, 141.96, 136.56, 131.75, 131.08, 129.96, 128.50, 128.14, 122.61, 116.10, 62.20, 47.77, 25.97, 24.47, 21.64.

1-acetyl-5-(4-iodophenyl)-3-methyl-3-(tosylmethyl)-1H-pyrrol-2-one (3e)



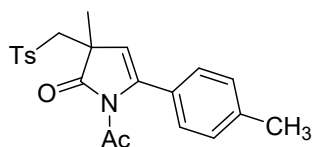
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.69 (t, *J* = 7.1 Hz, 4H), 7.29 (d, *J* = 7.9 Hz, 2H), 6.99 (d, *J* = 8.2 Hz, 2H), 5.56 (s, 1H), 3.68 (d, *J* = 14.3 Hz, 1H), 3.44 (d, *J* = 14.3 Hz, 1H), 2.50 (s, 3H), 2.43 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.43, 169.24, 145.17, 142.06, 137.01, 136.54, 132.32, 129.95, 128.59, 128.14, 116.10, 94.29, 62.18, 47.78, 25.95, 24.45, 21.63.

Methyl-4-(1-acetyl-4-methyl-5-oxo-4-(tosylmethyl)-4,5-dihydro-1H-pyrrol-2-yl)benzoate (3f)



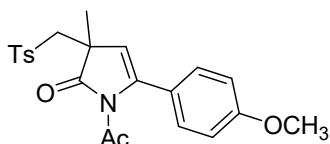
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 8.03 (d, *J* = 8.3 Hz, 2H), 7.70 (d, *J* = 8.2 Hz, 2H), 7.30 (dd, *J* = 15.7, 8.2 Hz, 4H), 5.62 (s, 1H), 3.93 (s, 3H), 3.70 (d, *J* = 14.3 Hz, 1H), 3.47 (d, *J* = 14.4 Hz, 1H), 2.52 (s, 3H), 2.43 (s, 3H), 1.41 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.35, 169.16, 166.62, 145.20, 142.08, 137.20, 136.52, 130.31, 129.96, 129.22, 128.15, 126.78, 116.91, 62.19, 52.21, 47.91, 25.85, 24.45, 21.63.

1-acetyl-3-methyl-5-p-tolyl-3-(tosylmethyl)-1H-pyrrol-2-one (3g)



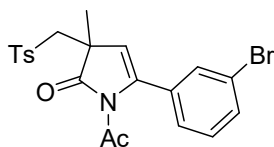
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.71 (d, *J* = 8.2 Hz, 2H), 7.27 (d, *J* = 8.1 Hz, 2H), 7.17 (d, *J* = 7.9 Hz, 2H), 7.13 (d, *J* = 8.1 Hz, 2H), 5.49 (s, 1H), 3.69 (d, *J* = 14.4 Hz, 1H), 3.45 (d, *J* = 14.4 Hz, 1H), 2.47 (s, 3H), 2.42 (s, 3H), 2.38 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.67, 169.27, 145.02, 142.88, 138.45, 136.58, 129.89, 129.76, 128.61, 128.25, 126.70, 114.95, 62.17, 47.71, 26.07, 24.60, 21.61, 21.35.

1-acetyl-5-(4-methoxyphenyl)-3-methyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3h)



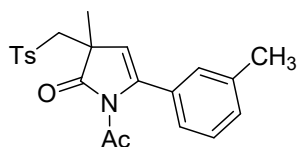
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.70 (d, *J* = 8.2 Hz, 2H), 7.17 (d, *J* = 8.7 Hz, 2H), 6.88 (d, *J* = 8.7 Hz, 2H), 5.45 (s, 1H), 3.83 (s, 3H), 3.68 (d, *J* = 14.4 Hz, 1H), 3.45 (d, *J* = 14.4 Hz, 1H), 2.48 (s, 3H), 2.42 (s, 3H), 1.38 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.74, 169.40, 159.76, 145.02, 142.62, 136.61, 129.88, 128.24, 128.24, 125.05, 114.46, 113.34, 62.21, 55.31, 47.63, 26.15, 24.63, 21.62.

1-acetyl-5-(3-bromophenyl)-3-methyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3i)



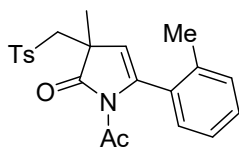
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.48 (d, *J* = 7.8 Hz, 1H), 7.35 (s, 1H), 7.31 (d, *J* = 7.9 Hz, 2H), 7.23 (t, *J* = 7.9 Hz, 1H), 7.16 (d, *J* = 7.6 Hz, 1H), 5.51 (s, 1H), 3.70 (d, *J* = 14.4 Hz, 1H), 3.47 (d, *J* = 14.4 Hz, 1H), 2.52 (s, 3H), 2.45 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.33, 169.17, 145.20, 141.52, 136.52, 134.71, 131.45, 129.99, 129.66, 129.33, 128.18, 125.56, 121.91, 116.51, 62.16, 47.79, 25.89, 24.44, 21.66.

1-acetyl-3-methyl-5-m-tolyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3j)



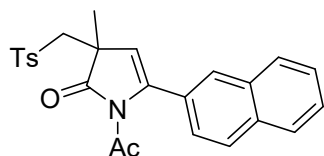
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.71 (d, *J* = 8.1 Hz, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 7.24 (d, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 7.5 Hz, 1H), 7.05 (s, 1H), 7.02 (d, *J* = 7.6 Hz, 1H), 5.49 (s, 1H), 3.69 (d, *J* = 14.4 Hz, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 2.49 (s, 3H), 2.42 (s, 3H), 2.37 (s, 3H), 1.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.65, 169.22, 145.03, 142.99, 137.58, 136.61, 132.59, 129.92, 129.34, 128.26, 127.76, 127.33, 123.91, 115.35, 62.18, 47.77, 26.03, 24.57, 21.63, 21.45.

1-acetyl-3-methyl-5-*o*-tolyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3k)



Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.78 (d, *J* = 8.2 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.29 – 7.23 (m, 2H), 7.19 (dd, *J* = 15.2, 7.5 Hz, 2H), 5.58 (s, 1H), 3.67 (d, *J* = 14.0 Hz, 1H), 3.42 (d, *J* = 14.0 Hz, 1H), 2.48 (s, 3H), 2.43 (s, 3H), 2.26 (s, 3H), 1.41 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.57, 168.93, 145.11, 136.91, 133.17, 130.00, 129.59, 128.62, 128.43, 128.01, 125.38, 115.29, 62.17, 47.40, 25.74, 24.94, 21.64, 19.81.

1-acetyl-3-methyl-5-(naphthalen-2-yl)-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3l)

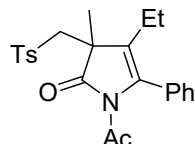


White solid; mp 178.8-180.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 7.86 – 7.82 (m, 2H), 7.80 (d, *J* = 8.5 Hz, 1H), 7.77 – 7.72 (m, 3H), 7.50 (dd, *J* = 5.8, 3.6 Hz, 2H), 7.29 (dd, *J* = 11.5, 4.8 Hz, 3H), 5.62 (s, 1H), 3.73 (d, *J* = 14.4 Hz, 1H), 3.49 (d, *J* = 14.4 Hz, 1H), 2.52 (s, 3H), 2.41 (s, 3H), 1.43 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.65, 169.29, 145.07, 142.98, 136.60, 133.17, 132.86, 130.36, 129.95, 128.25, 128.15,

127.75, 127.21, 126.50, 126.42, 125.71, 124.77, 115.89, 62.25, 47.81, 26.01, 24.60, 21.62.

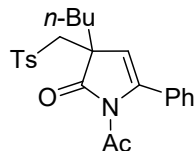
HRMS (ESI, m/z): Calcd. For C₂₅H₂₃NSO₄Na [M+Na]⁺ 456.1240, found: 456.1243.

1-acetyl-4-ethyl-3-methyl-5-phenyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3m)



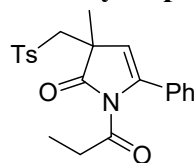
Known compound [1]. ¹H NMR (600 MHz, CDCl₃) δ 7.75 (d, *J* = 8.2 Hz, 2H), 7.39 (t, *J* = 7.1 Hz, 2H), 7.35 (d, *J* = 7.1 Hz, 1H), 7.31 (dd, *J* = 4.8, 3.2 Hz, 3H), 3.70 (d, *J* = 14.3 Hz, 1H), 3.50 (d, *J* = 14.3 Hz, 1H), 2.44 (s, 3H), 2.43 (s, 3H), 2.20 (dd, *J* = 15.2, 7.7 Hz, 1H), 1.94 (dd, *J* = 15.0, 7.5 Hz, 1H), 1.38 (s, 3H), 0.90 (t, *J* = 7.7 Hz, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.44, 169.10, 145.03, 137.67, 136.89, 132.57, 129.93, 128.33, 128.14, 128.01, 127.94, 126.12, 61.76, 50.47, 26.19, 24.64, 21.64, 18.05, 14.59.

1-acetyl-3-butyl-5-phenyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3n)



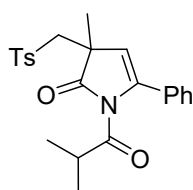
Known compound [1]. ¹H NMR (600 MHz, CDCl₃) δ 7.70 (d, *J* = 8.1 Hz, 2H), 7.42 – 7.30 (m, 4H), 7.26 (t, *J* = 3.7 Hz, 5H), 5.42 (s, 1H), 3.69 (d, *J* = 14.4 Hz, 1H), 3.49 (d, *J* = 14.4 Hz, 1H), 2.49 (s, 3H), 2.41 (s, 3H), 1.75 – 1.63 (m, 2H), 1.27 (d, *J* = 4.5 Hz, 3H), 1.14 – 1.07 (m, 1H), 0.85 (t, *J* = 7.0 Hz, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.39, 169.08, 145.00, 143.78, 136.69, 132.82, 129.88, 128.48, 128.22, 127.90, 126.82, 113.99, 61.79, 51.71, 38.07, 26.05, 25.65, 22.61, 21.61, 13.76.

3-methyl-5-phenyl-1-propionyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3o)



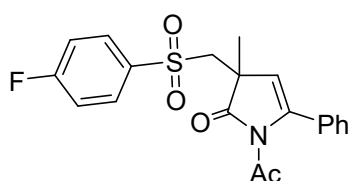
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.70 (d, *J* = 8.1 Hz, 2H), 7.37 – 7.35 (m, 3H), 7.27 (s, 1H), 7.26 – 7.25 (m, 1H), 7.25 – 7.21 (m, 2H), 5.51 (s, 1H), 3.69 (d, *J* = 14.4 Hz, 1H), 3.45 (d, *J* = 14.4 Hz, 1H), 2.94 – 2.84 (m, 2H), 2.41 (s, 3H), 1.39 (s, 3H), 1.14 (t, *J* = 7.3 Hz, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.42, 173.23, 145.03, 143.01, 136.61, 132.86, 129.87, 128.47, 128.22, 127.93, 126.71, 124.16, 115.49, 62.16, 47.83, 31.58, 24.63, 21.62, 8.33.

1-isobutyryl-3-methyl-5-phenyl-3-(tosylmethyl)-1H-pyrrol-2(3H)-one (3p)



Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.69 (d, *J* = 8.1 Hz, 2H), 7.37 – 7.33 (m, 3H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.21 – 7.17 (m, 2H), 5.50 (s, 1H), 3.70 (d, *J* = 14.5 Hz, 1H), 3.68 – 3.62 (m, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 2.40 (s, 3H), 1.40 (s, 3H), 1.24 (d, *J* = 6.9 Hz, 3H), 1.18 (d, *J* = 6.8 Hz, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 178.90, 176.66, 144.96, 143.16, 136.69, 132.81, 129.86, 128.49, 128.18, 128.05, 126.22, 115.30, 62.11, 48.11, 35.57, 24.69, 21.63, 18.60, 18.37.

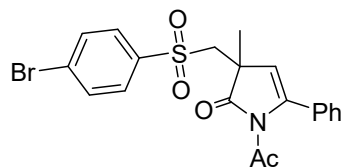
1-acetyl-3-(((4-fluorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (4a)



White solid; mp 154.0-155.6 °C; ¹H NMR (600 MHz, CDCl₃) δ 7.84 (dd, *J* = 8.6, 5.0 Hz, 2H), 7.41 – 7.34 (m, 3H), 7.25 (dd, *J* = 8.0, 4.5 Hz, 2H), 7.15 (t, *J* = 8.4 Hz, 2H), 5.50 (s, 1H), 3.71 (d, *J* = 14.4 Hz, 1H), 3.48 (d, *J* = 14.4 Hz, 1H), 2.53 (s, 3H), 1.40 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.54, 169.31, 165.93 (*J* = 255 Hz), 143.19, 135.69, 135.67, 132.56, 131.17, 131.10, 128.64, 128.00, 126.71, 116.71, 116.56, 115.23, 62.35, 47.82, 26.05, 24.51. ¹⁹F NMR (565 MHz, CDCl₃) δ -102.69.

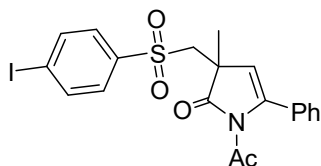
HRMS (ESI, *m/z*): Calcd. For C₂₀H₁₈NO₄SFNa [M+Na]⁺ 410.0833, found: 410.0836.

1-acetyl-3-((4-bromophenylsulfonyl)methyl)-3-methyl-5-phenyl-1H-pyrrol-2(3H)-one (4b)



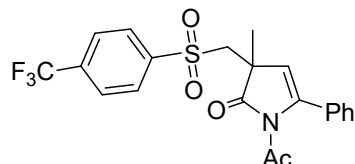
Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.68 (d, *J* = 8.6 Hz, 2H), 7.62 (d, *J* = 8.6 Hz, 2H), 7.44 – 7.33 (m, 3H), 7.28 – 7.18 (m, 3H), 5.49 (s, 1H), 3.70 (d, *J* = 14.4 Hz, 1H), 3.47 (d, *J* = 14.4 Hz, 1H), 2.52 (s, 3H), 1.40 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.43, 169.26, 143.21, 138.48, 132.64, 132.48, 129.73, 129.42, 128.65, 127.99, 126.69, 115.14, 62.19, 47.75, 26.01, 24.56.

1-acetyl-3-((4-iodophenylsulfonyl)methyl)-3-methyl-5-phenyl-1H-pyrrol-2(3H)-one (4c)



Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.84 (d, *J* = 8.2 Hz, 2H), 7.52 (d, *J* = 8.2 Hz, 2H), 7.39 – 7.34 (m, 3H), 7.24 – 7.19 (m, 2H), 5.48 (s, 1H), 3.70 (d, *J* = 14.4 Hz, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 2.52 (s, 3H), 1.40 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.43, 169.27, 143.18, 139.11, 138.64, 132.49, 129.51, 128.66, 128.00, 126.71, 115.18, 102.06, 62.13, 47.75, 26.04, 24.59.

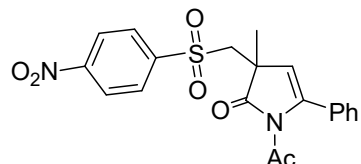
1-acetyl-3-methyl-5-phenyl-3-((4-(trifluoromethyl)phenylsulfonyl)methyl)-1H-pyrrol-2(3H)-one (4d)



Known compound ^[1]. ¹H NMR (600 MHz, CDCl₃) δ 7.96 (d, *J* = 8.2 Hz, 2H), 7.75 (d, *J* = 8.3 Hz, 2H), 7.43 – 7.33 (m, 3H), 7.21 (dd, *J* = 6.4, 2.7 Hz, 2H), 5.46 (s, 1H), 3.74 (d, *J* = 14.4 Hz, 1H), 3.52 (d, *J* = 14.4 Hz, 1H), 2.52 (s, 3H), 1.41 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 179.34, 169.26, 143.38, 135.65 (*J* = 33 Hz), 132.38, 128.84,

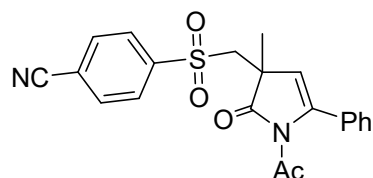
128.73, 128.02, 126.64, 126.48 ($J = 4.5$ Hz), 122.99 ($J = 122.3$ Hz), 114.96, 62.11, 47.73, 26.00, 24.52. ^{19}F NMR (565 MHz, CDCl_3) δ -63.28.

1-acetyl-3-methyl-3-((4-nitrophenylsulfonyl)methyl)-5-phenyl-1H-pyrrol-2(3H)-one (4j)



Known compound [1]. ^1H NMR (600 MHz, CDCl_3) δ 8.30 (d, $J = 8.7$ Hz, 2H), 8.03 (d, $J = 8.7$ Hz, 2H), 7.40 – 7.35 (m, 3H), 7.23 (dd, $J = 6.3, 2.8$ Hz, 2H), 5.45 (s, 1H), 3.75 (d, $J = 14.4$ Hz, 1H), 3.54 (d, $J = 14.4$ Hz, 1H), 2.56 (s, 3H), 1.43 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 179.33, 169.30, 150.92, 145.13, 143.70, 132.33, 129.66, 128.84, 128.10, 126.63, 124.49, 114.71, 62.22, 47.79, 26.07, 24.42.

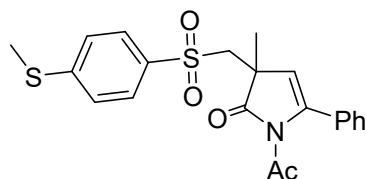
4-(((1-acetyl-3-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-pyrrol-3-yl)methyl)sulfonyl)benzonitrile (4f)



White solid; mp 189.4-191.5 °C; ^1H NMR (600 MHz, CDCl_3) δ 7.95 (d, $J = 8.5$ Hz, 2H), 7.77 (d, $J = 8.5$ Hz, 2H), 7.41 – 7.36 (m, 3H), 7.25 – 7.20 (m, 2H), 5.44 (s, 1H), 3.73 (d, $J = 14.4$ Hz, 1H), 3.51 (d, $J = 14.4$ Hz, 1H), 2.56 (s, 3H), 1.42 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 179.33, 169.29, 143.66, 143.62, 133.05, 132.35, 128.90, 128.82, 128.09, 126.64, 117.77, 116.91, 114.76, 62.15, 47.77, 26.07, 24.43.

HRMS (ESI, m/z): Calcd. For $\text{C}_{21}\text{H}_{18}\text{N}_2\text{O}_4\text{SNa}$ $[\text{M}+\text{Na}]^+$ 417.0879, found: 417.0883.

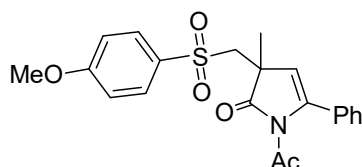
1-acetyl-3-methyl-3-(((4-(methylthio)phenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (4g)



White solid; mp 102.9-104.8 °C; ^1H NMR (600 MHz, CDCl_3) δ 7.68 (d, J = 8.5 Hz, 2H), 7.38 – 7.34 (m, 3H), 7.23 (t, J = 7.2 Hz, 4H), 5.49 (s, 1H), 3.71 (d, J = 14.4 Hz, 1H), 3.46 (d, J = 14.4 Hz, 1H), 2.49 (s, 3H), 2.49 (s, 3H), 1.39 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 179.50, 169.31, 147.81, 142.88, 134.77, 132.65, 128.54, 128.49, 127.93, 126.75, 125.29, 115.56, 62.24, 47.78, 26.01, 24.69, 14.65.

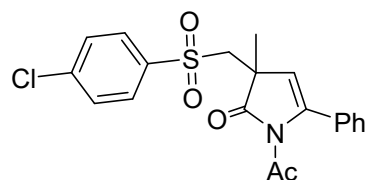
HRMS (ESI, m/z): Calcd. For $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}_4\text{S}_2\text{Na}$ $[\text{M}+\text{Na}]^+$ 438.0804, found: 438.0807.

1-acetyl-3-((4-methoxyphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1H-pyrrol-2(3H)-one (4h)



Known compound ^[1]. ^1H NMR (600 MHz, CDCl_3) δ 7.74 (d, J = 8.8 Hz, 2H), 7.41 – 7.33 (m, 3H), 7.25 (d, J = 3.7 Hz, 2H), 6.91 (d, J = 8.8 Hz, 2H), 5.50 (s, 1H), 5.12 – 5.10 (m, 1H), 3.70 (d, J = 14.4 Hz, 1H), 3.45 (d, J = 14.4 Hz, 1H), 2.50 (s, 3H), 1.39 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 179.59, 169.29, 163.90, 142.79, 132.71, 130.90, 130.46, 128.49, 127.90, 126.77, 115.66, 114.45, 62.36, 55.73, 47.80, 26.04, 24.65.

1-acetyl-3-(((4-chlorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (4i)

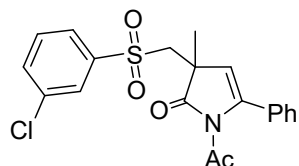


White solid; mp 140.5-142.1 °C; ^1H NMR (600 MHz, CDCl_3) δ 7.76 (d, J = 8.5 Hz, 2H), 7.45 (d, J = 8.5 Hz, 2H), 7.41 – 7.32 (m, 3H), 7.23 (dd, J = 6.5, 2.8 Hz, 2H), 5.50 (s, 1H), 3.71 (d, J = 14.4 Hz, 1H), 3.47 (d, J = 14.4 Hz, 1H), 2.53 (s, 3H), 1.41 (s, 3H).

^{13}C NMR (151 MHz, CDCl_3) δ 179.47, 169.29, 143.23, 140.85, 137.99, 132.51, 129.70, 129.66, 128.67, 128.00, 126.71, 115.17, 62.25, 47.79, 26.02, 24.55.

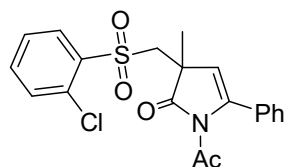
HRMS (ESI, m/z): Calcd. For $\text{C}_{20}\text{H}_{18}\text{NClSO}_4\text{Na}$ $[\text{M}+\text{Na}]^+$ 426.0537, found: 426.0540.

1-acetyl-3-((3-chlorophenylsulfonyl)methyl)-3-methyl-5-phenyl-1H-pyrrol-2(3H)-one (4j)



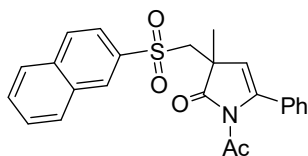
Known compound ^[1]. ^1H NMR (600 MHz, CDCl_3) δ 7.83 (s, 1H), 7.72 (d, $J = 7.8$ Hz, 1H), 7.60 (d, $J = 8.0$ Hz, 1H), 7.44 (d, $J = 7.9$ Hz, 1H), 7.38 – 7.35 (m, 3H), 7.26 – 7.24 (m, 2H), 5.49 (s, 1H), 3.71 (d, $J = 14.4$ Hz, 1H), 3.50 (d, $J = 14.4$ Hz, 1H), 2.55 (s, 3H), 1.42 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 179.48, 169.27, 143.41, 141.38, 135.60, 134.15, 132.49, 130.64, 128.63, 128.16, 127.99, 126.75, 126.29, 114.94, 62.17, 47.78, 26.08, 24.47.

1-acetyl-3-((2-chlorophenylsulfonyl)methyl)-3-methyl-5-phenyl-1H-pyrrol-2(3H)-one (4k)



Known compound ^[1]. ^1H NMR (600 MHz, CDCl_3) δ 7.93 (d, $J = 7.9$ Hz, 1H), 7.54 (t, $J = 9.4$ Hz, 2H), 7.33 (d, $J = 4.1$ Hz, 2H), 7.27 (d, $J = 7.6$ Hz, 2H), 7.14 (d, $J = 4.3$ Hz, 2H), 5.32 (s, 1H), 3.93 (s, 2H), 2.54 (s, 3H), 1.42 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 179.41, 169.36, 143.29, 137.12, 134.94, 132.69, 132.53, 131.88, 128.56, 127.89, 127.48, 126.57, 115.08, 60.13, 47.71, 26.06, 24.40.

1-acetyl-3-methyl-3-((naphthalen-2-ylsulfonyl)methyl)-5-phenyl-1H-pyrrol-2(3H)-one(4l)

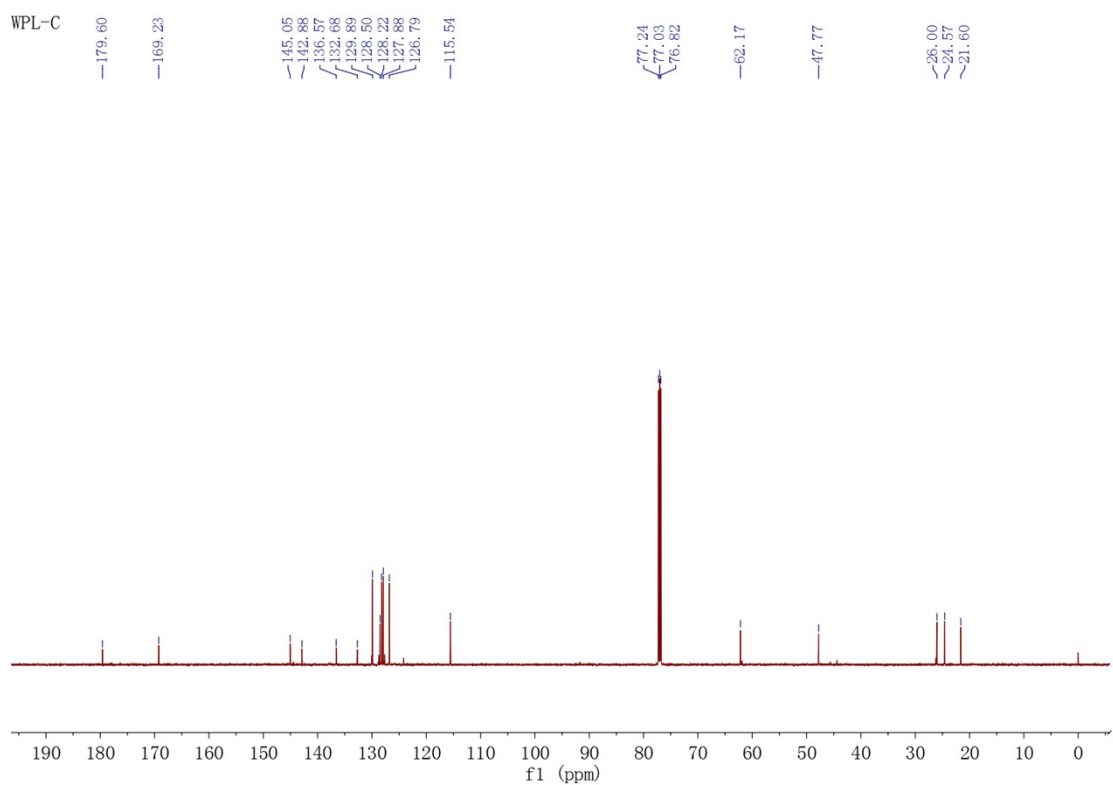
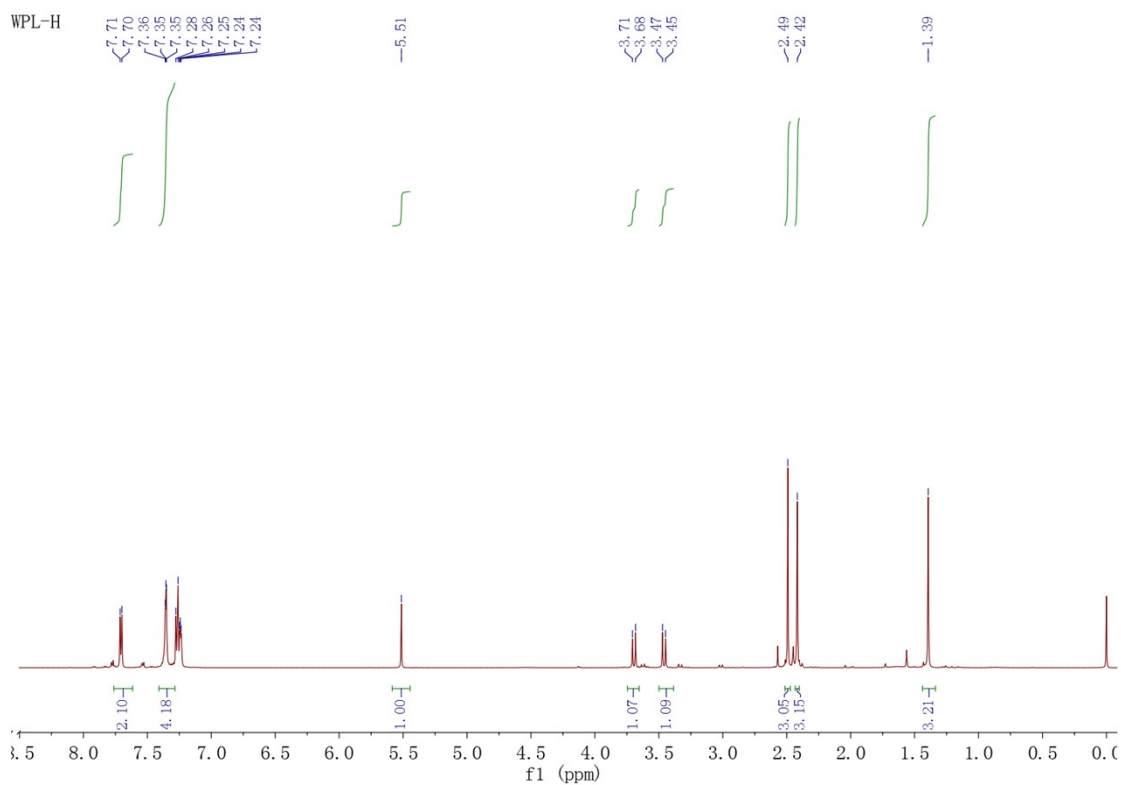
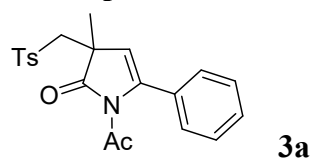


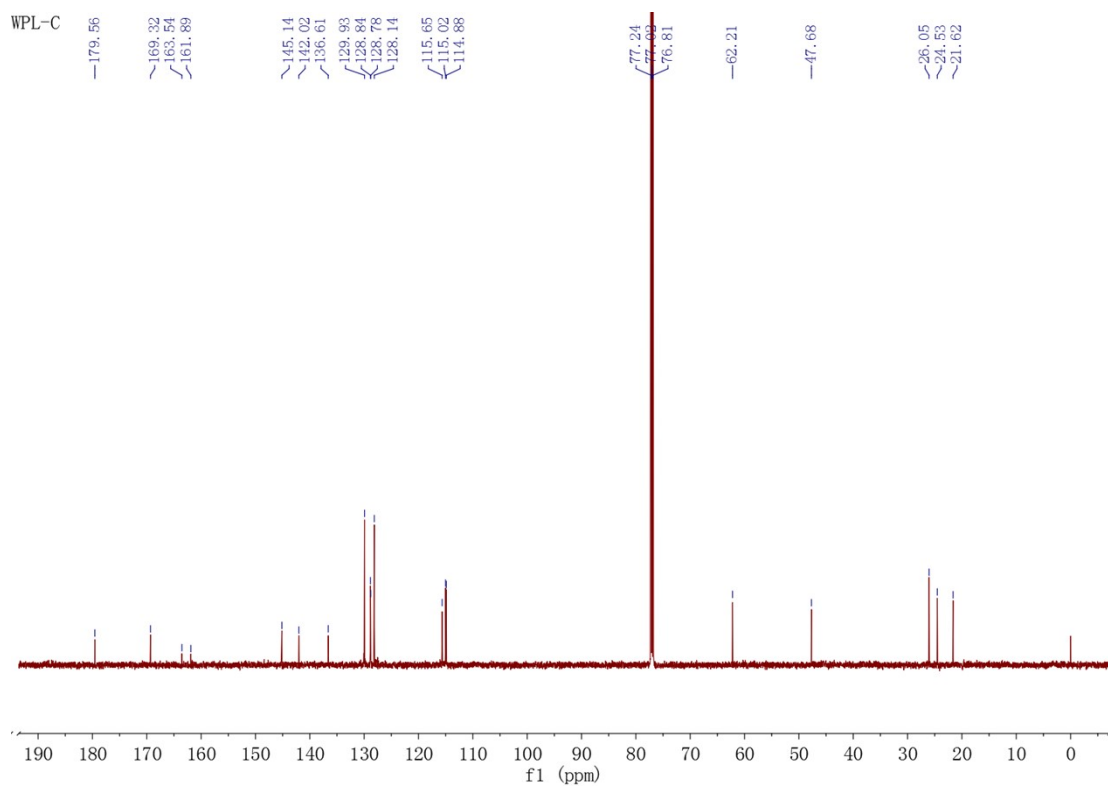
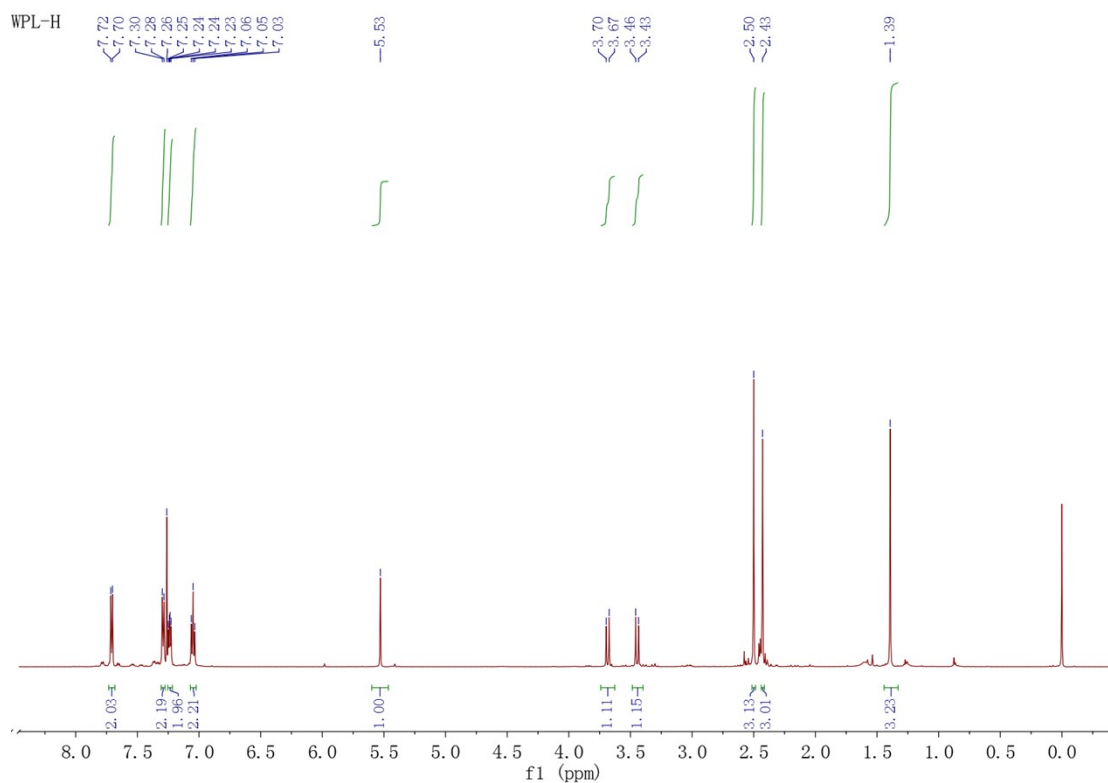
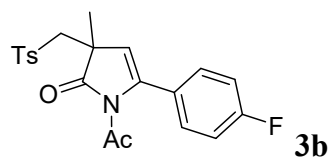
Known compound ^[1]. ¹H NMR (400 MHz, CDCl₃) δ 8.35 (s, 1H), 7.95 (d, *J* = 8.6 Hz, 1H), 7.91 (d, *J* = 8.2 Hz, 1H), 7.84 – 7.74 (m, 2H), 7.67 (t, *J* = 7.5 Hz, 1H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.37 – 7.29 (m, 3H), 7.19 (d, *J* = 7.3 Hz, 2H), 5.44 (s, 1H), 3.82 (d, *J* = 14.5 Hz, 1H), 3.57 (d, *J* = 14.5 Hz, 1H), 2.43 (s, 3H), 1.40 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 179.55, 169.29, 143.10, 136.20, 135.30, 132.59, 131.91, 130.28, 129.65, 129.53, 128.52, 127.92, 127.89, 127.75, 126.70, 122.56, 115.27, 62.08, 47.84, 25.93, 24.58.

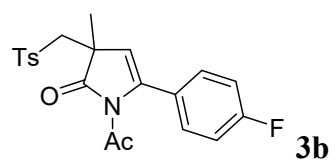
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- (1) R. Ding, Y.-L. Liu, H. Hao, C.-Y. Chen, L. Liu, N.-S. Chen, Y. Guo, P.-L. Wang, *Org. Chem. Front.*, **2021**, 8, 3123.
- (2) (a) B. Panda, T. K. Sarkar, *Chem. Commun.* **2010**, 46, 3131. (b) R. Cai, M. Lu, E. Y. Aguilera, Y. M. Xi, N. G. Akhmedov, J. L. Petersen, H. Chen, X. D. Shi, *Angew. Chem. Int. Ed.* **2015**, 54, 8772. (c) H. Wang, S. Sun, J. Cheng. *Org. Lett.* **2017**, 19, 5844.

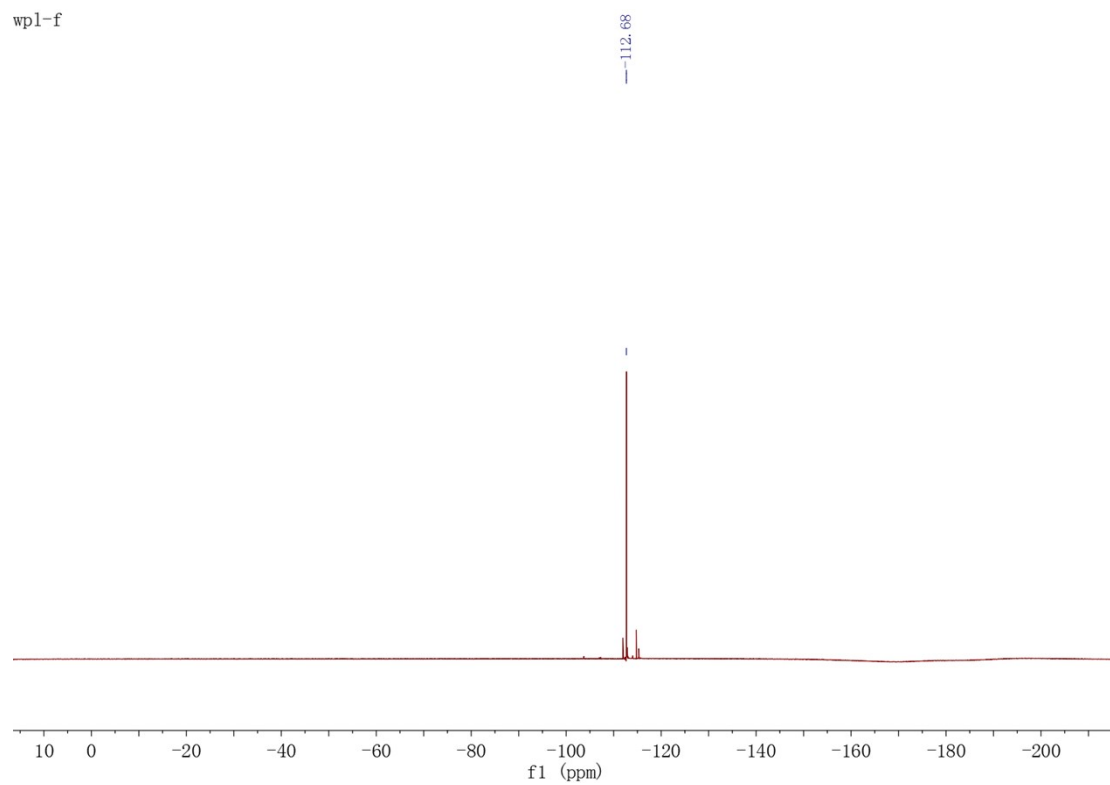
NMR spectra

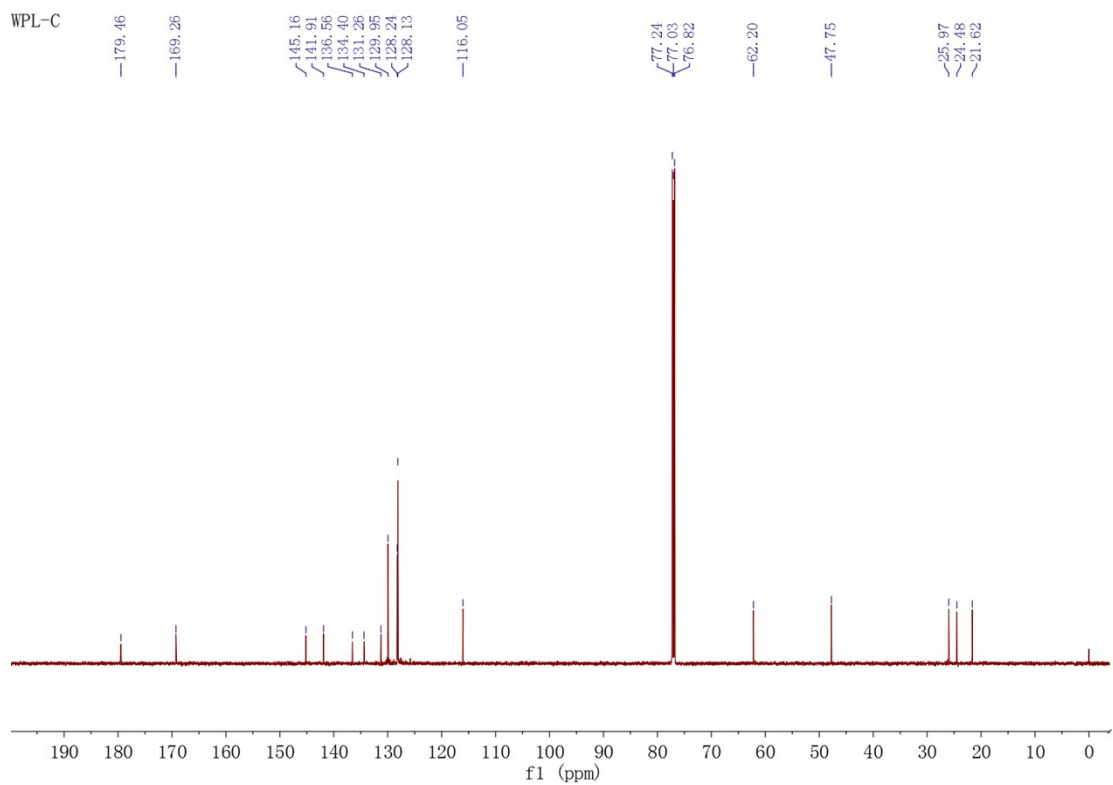
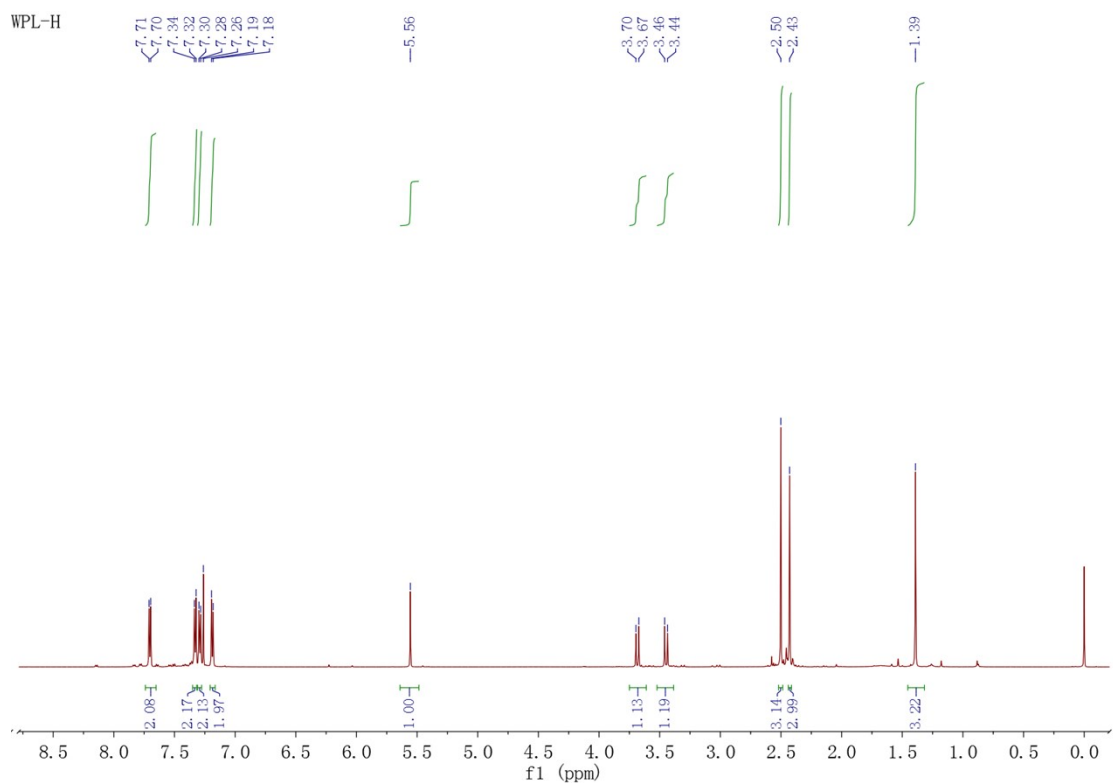
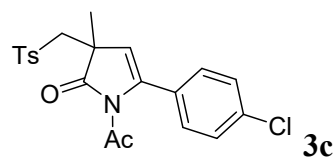


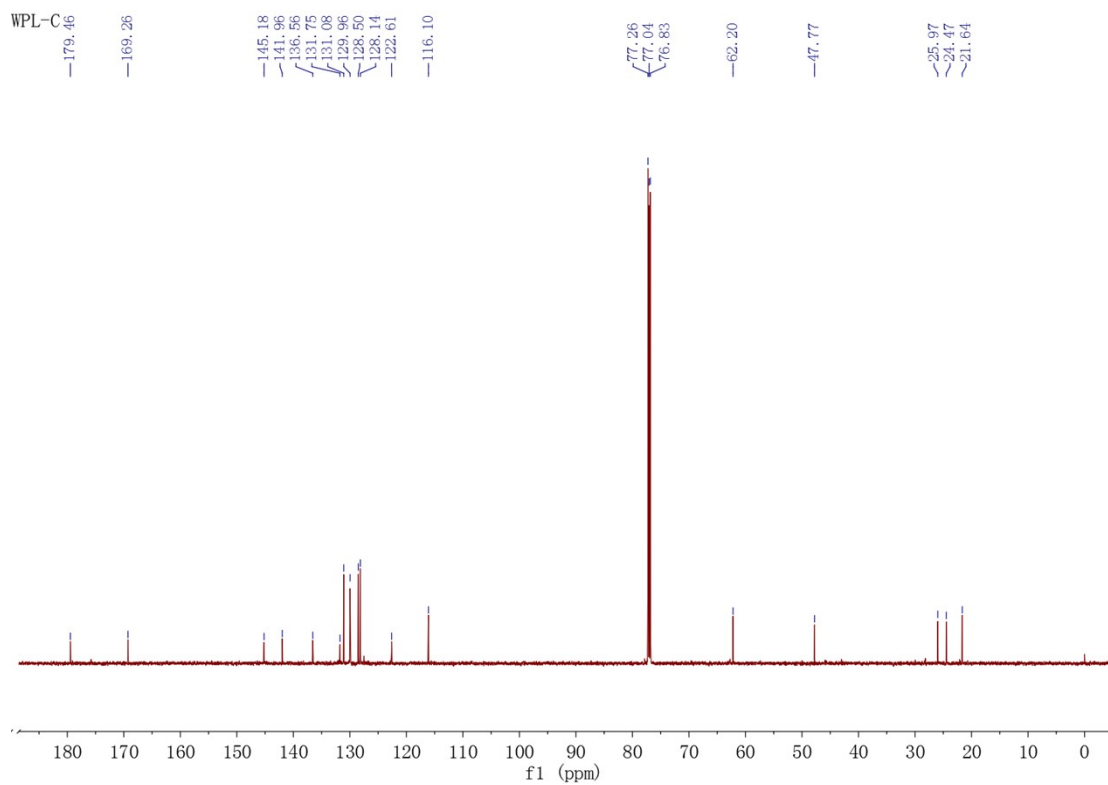


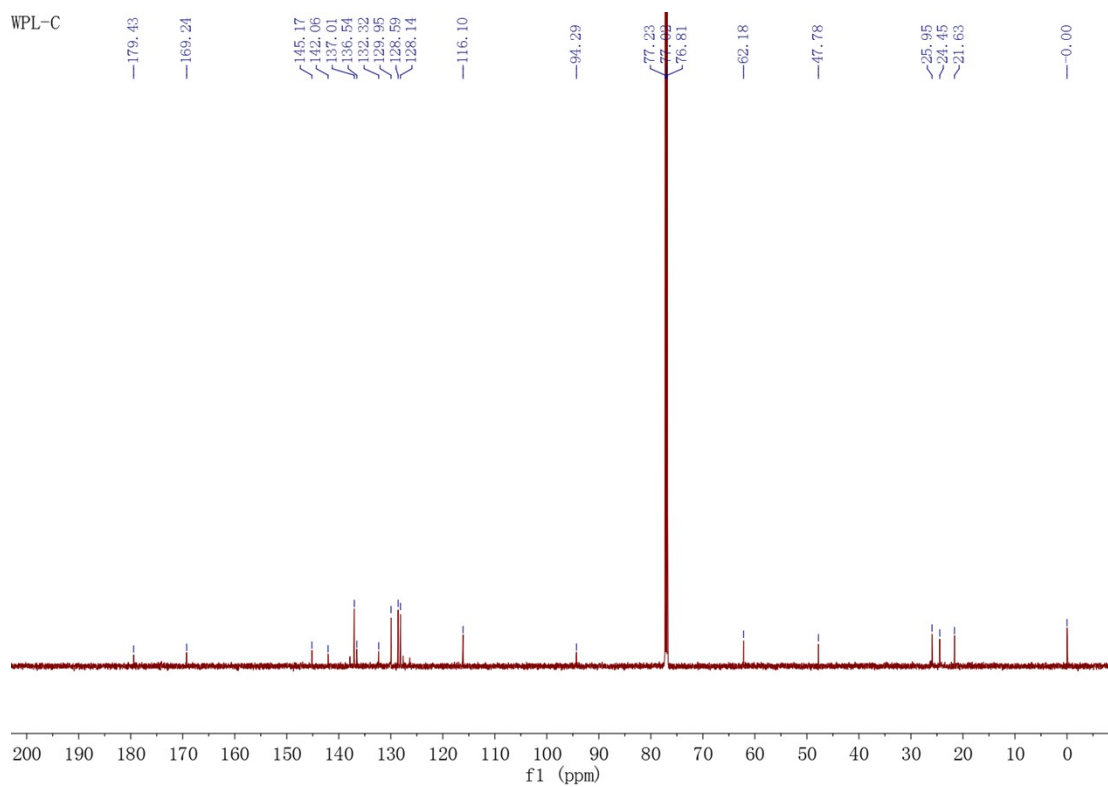
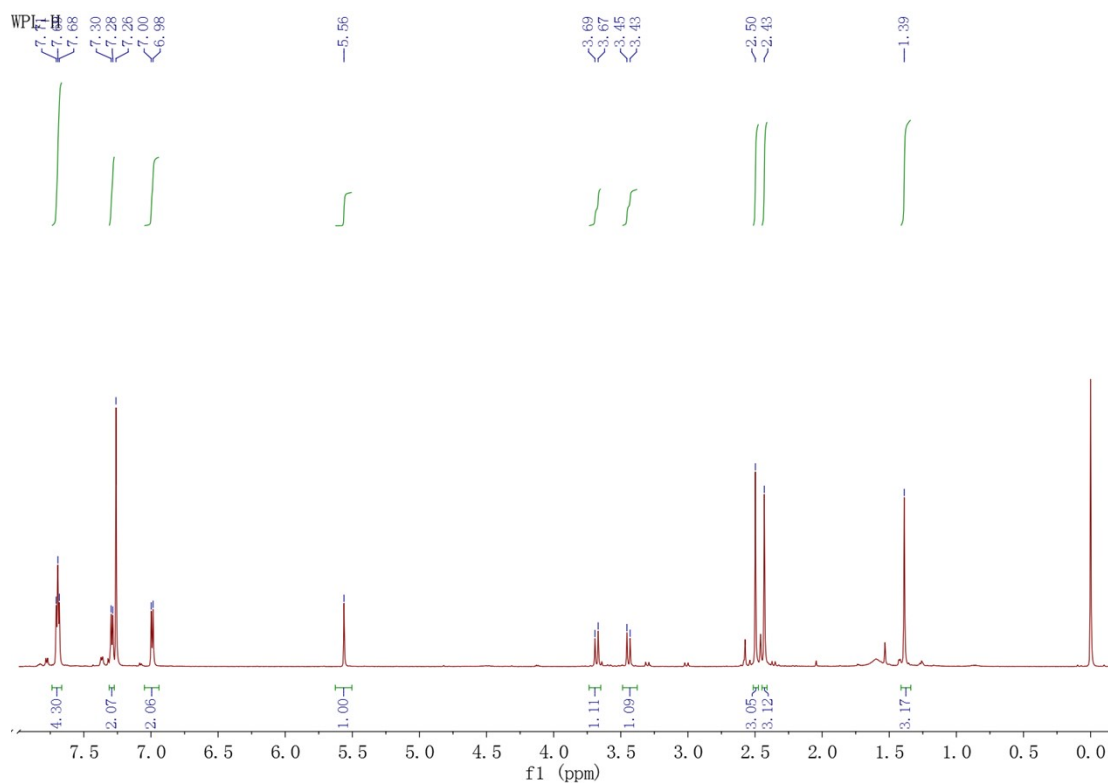
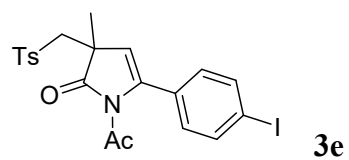


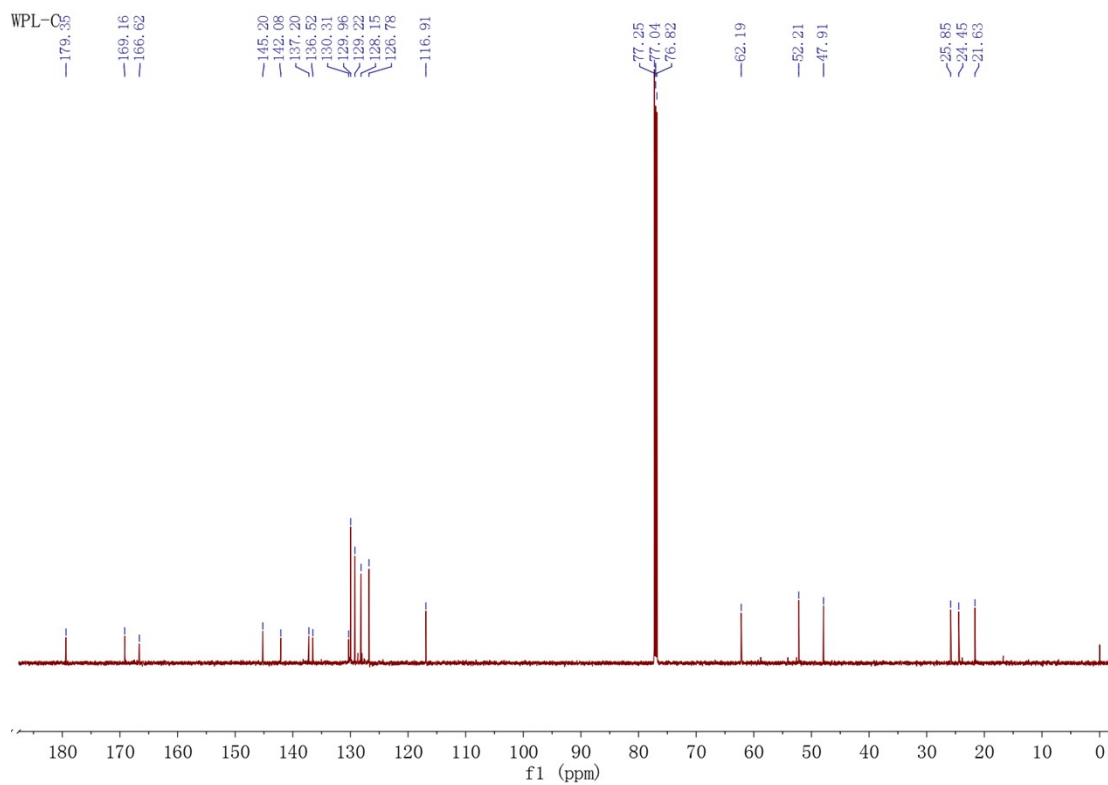
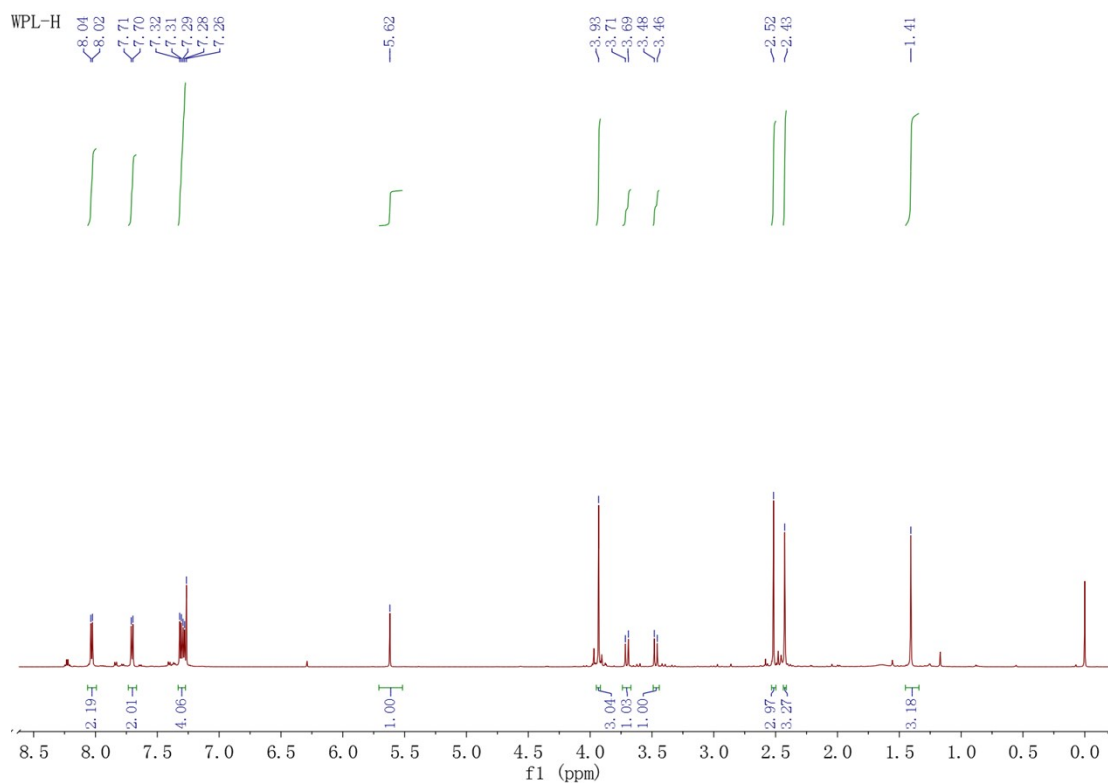
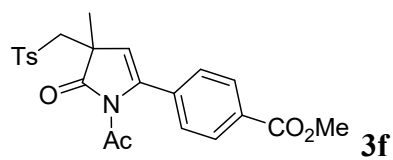
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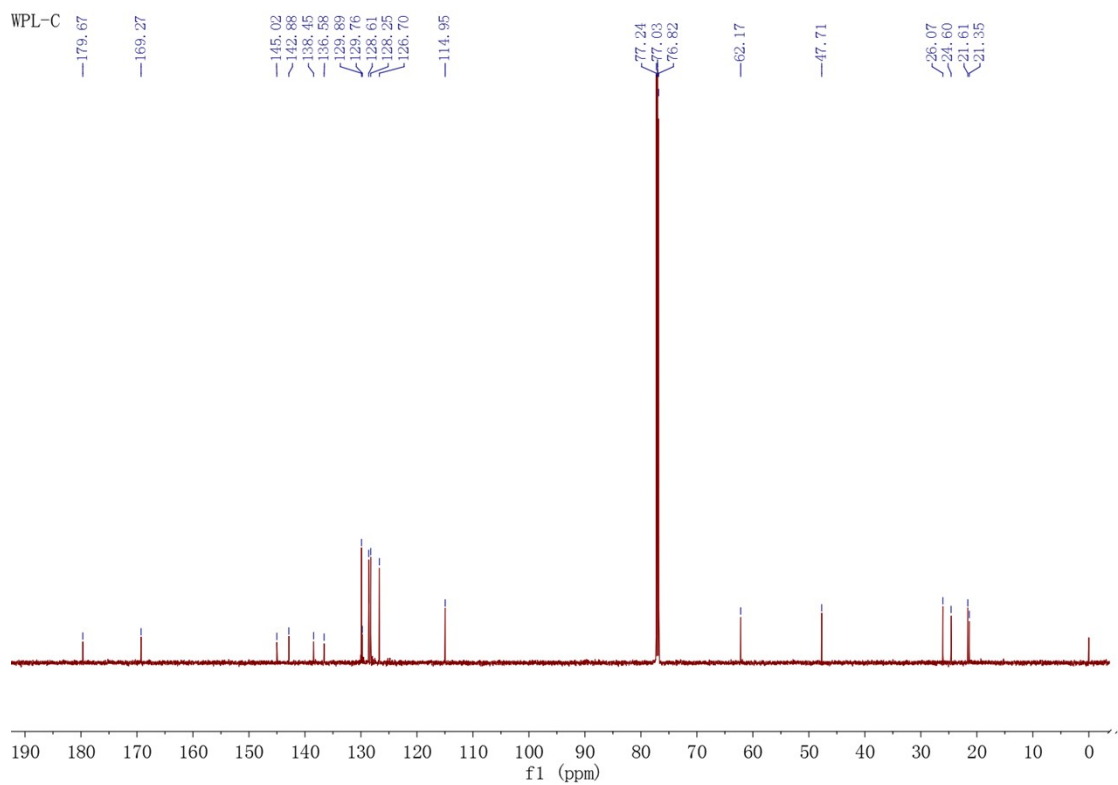
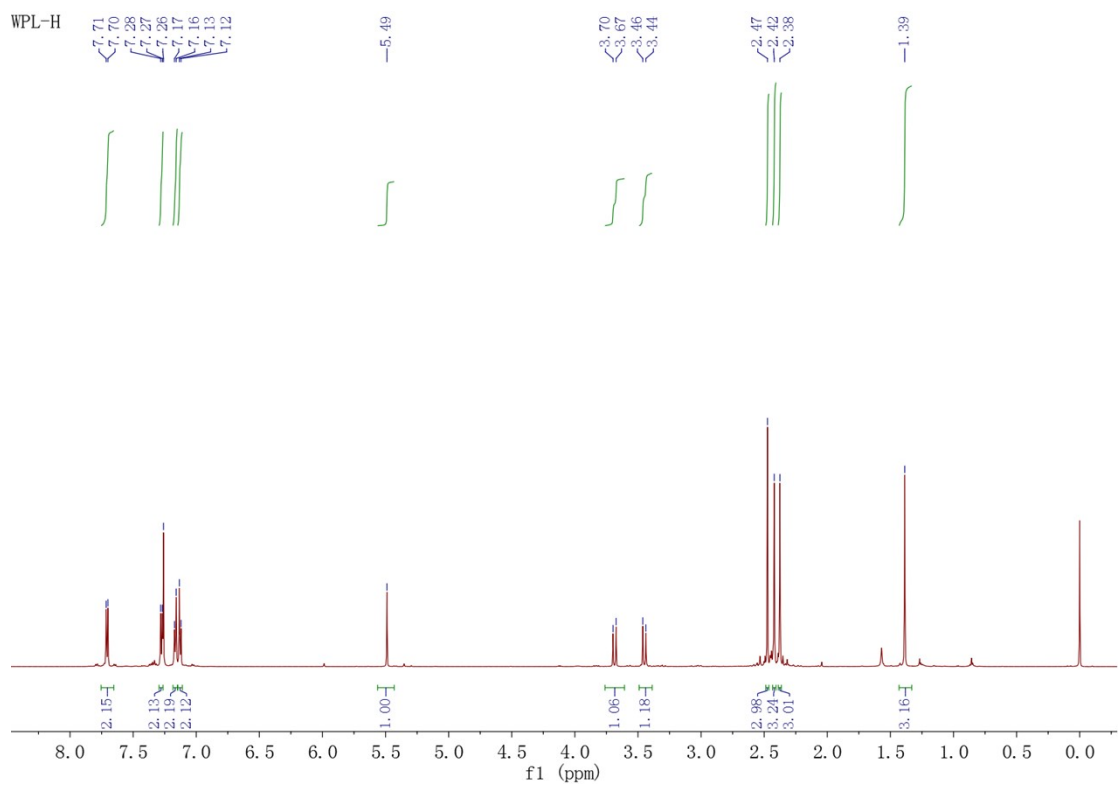
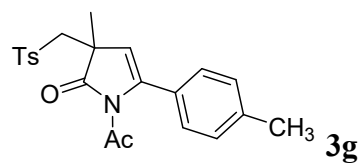


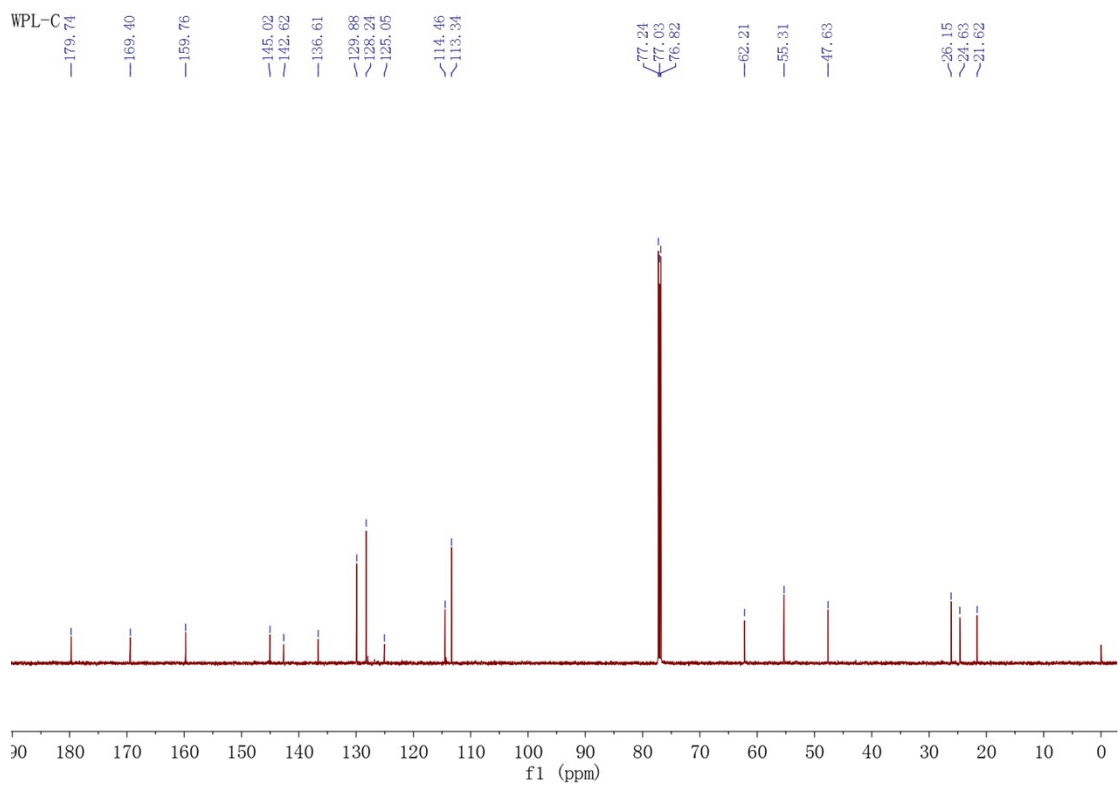
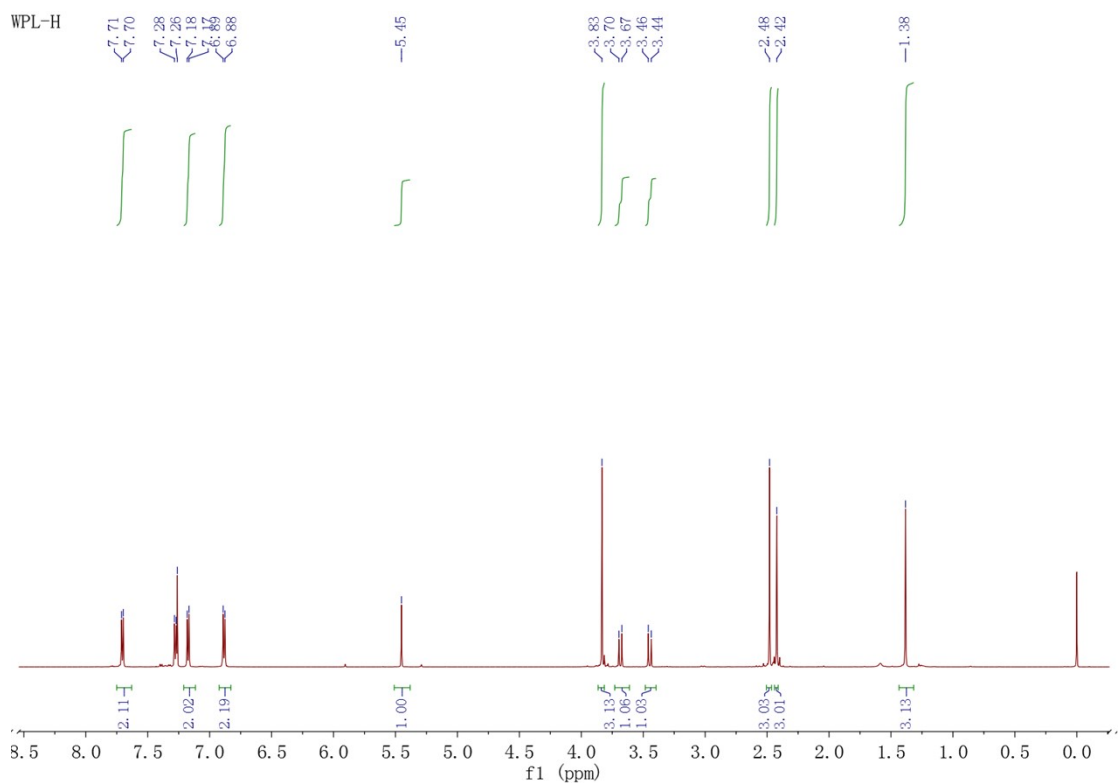
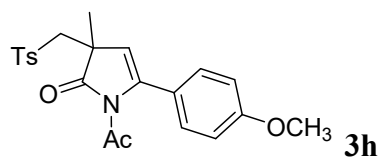


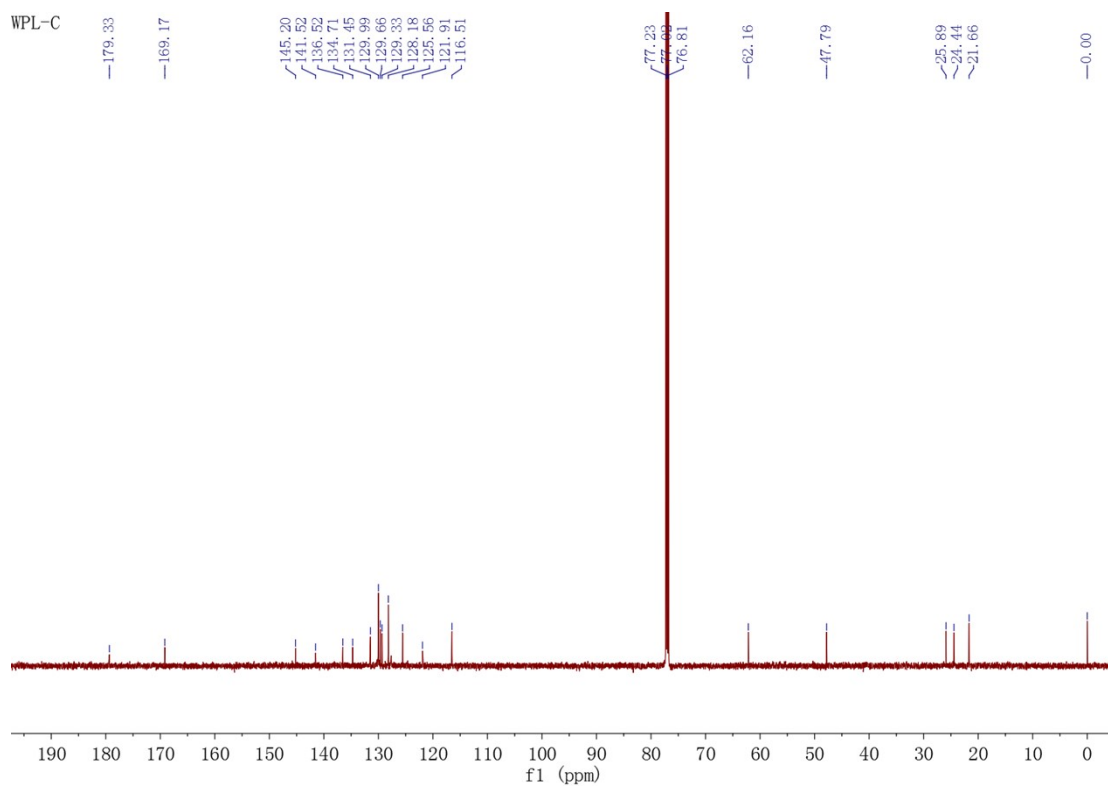
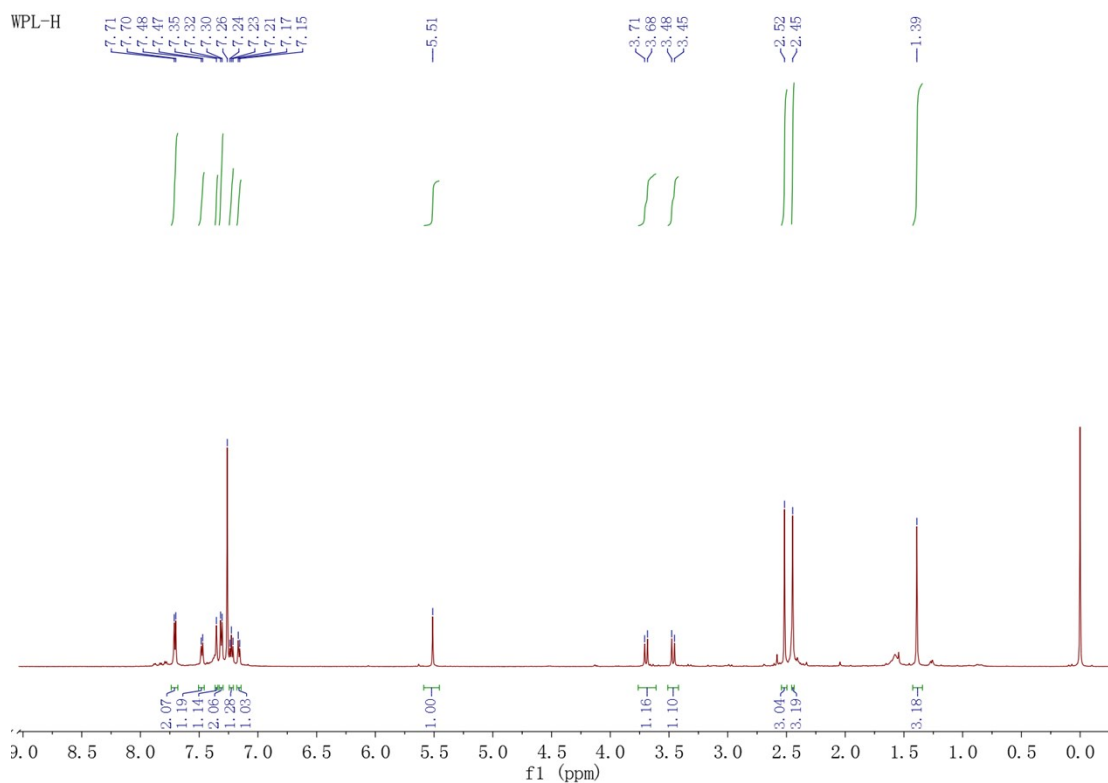
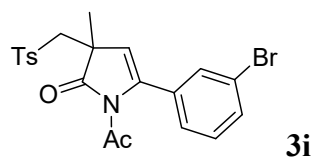


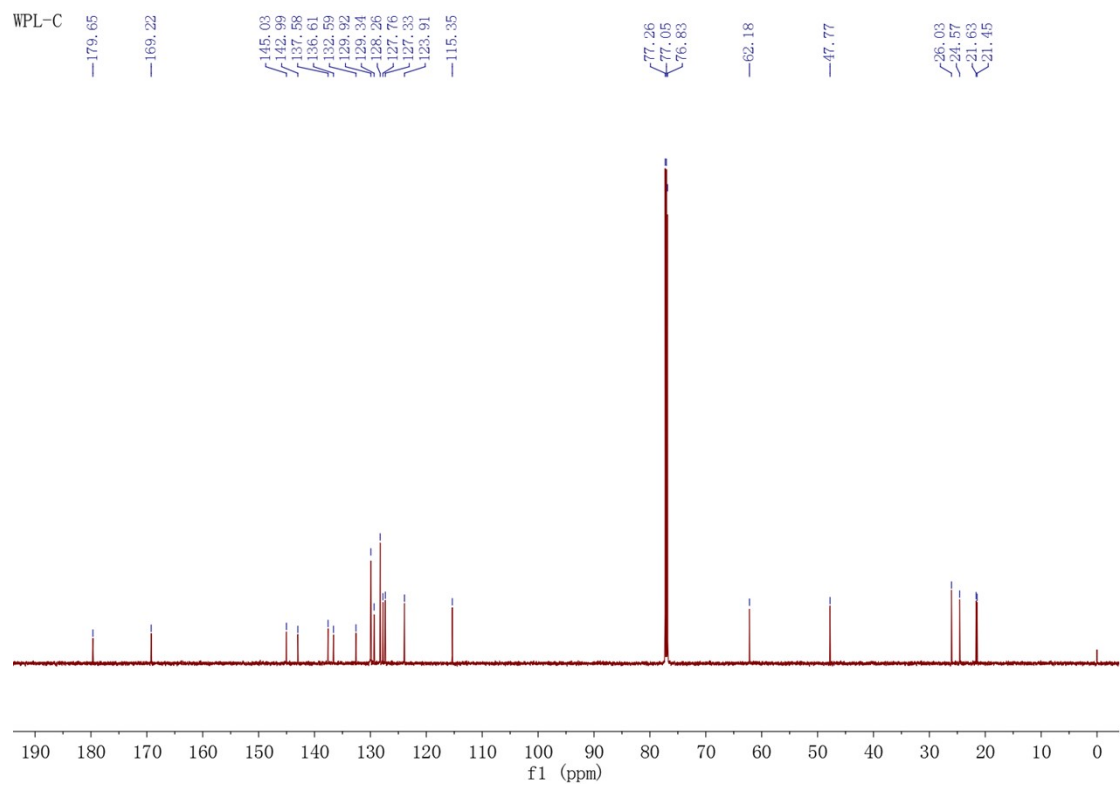


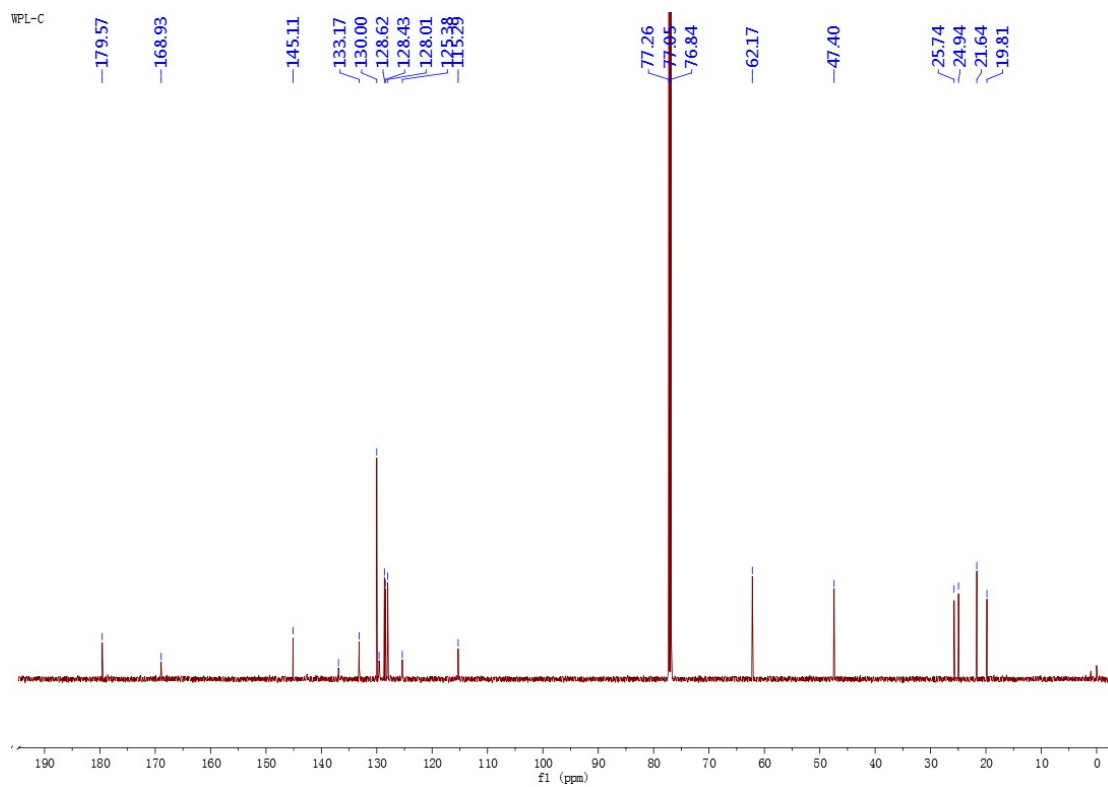
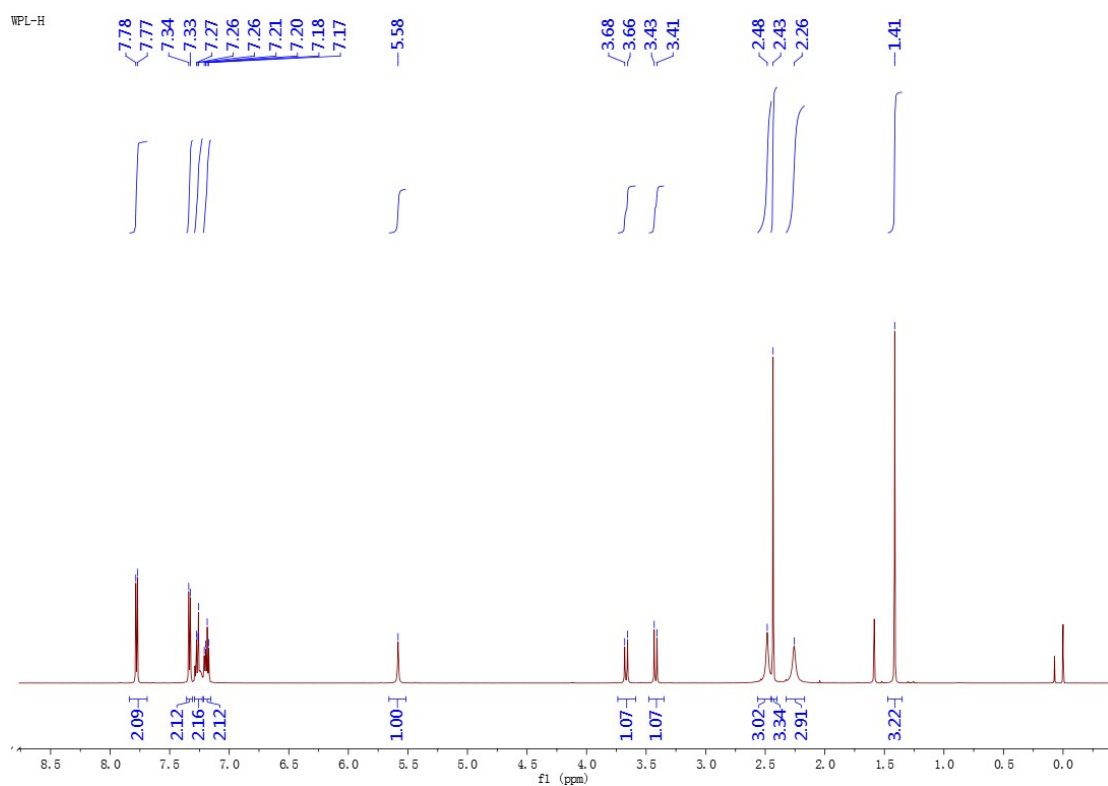
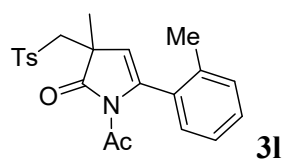




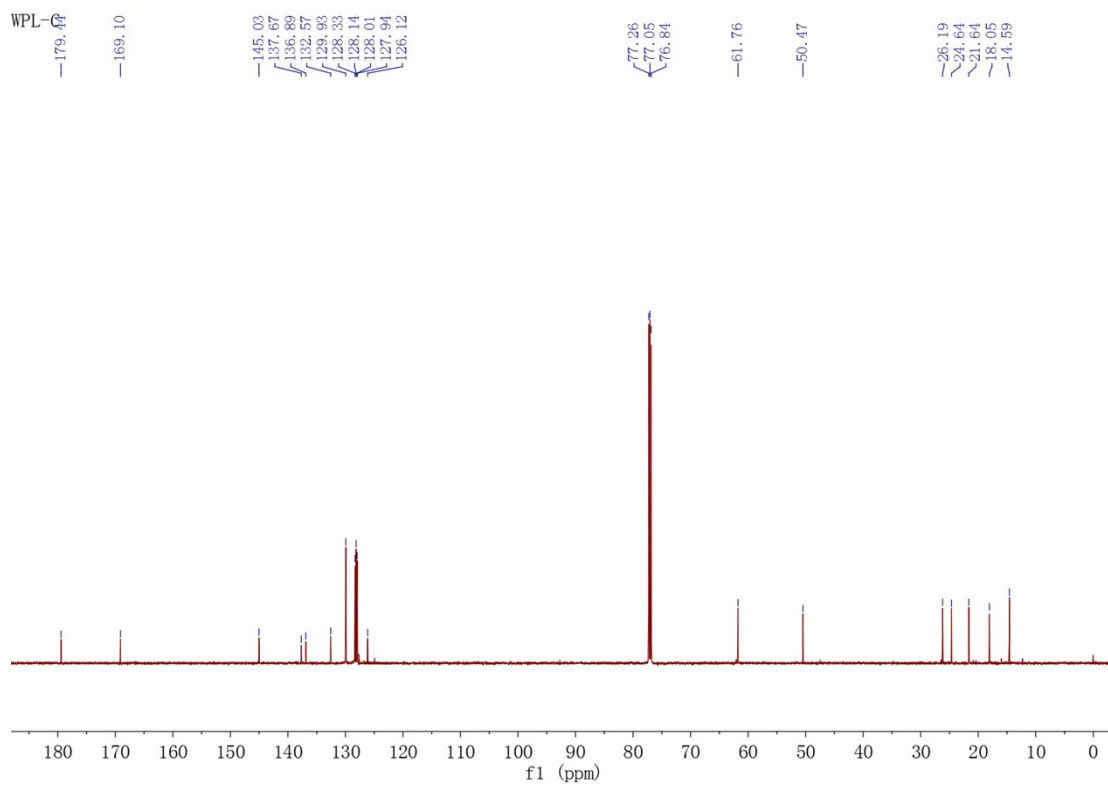
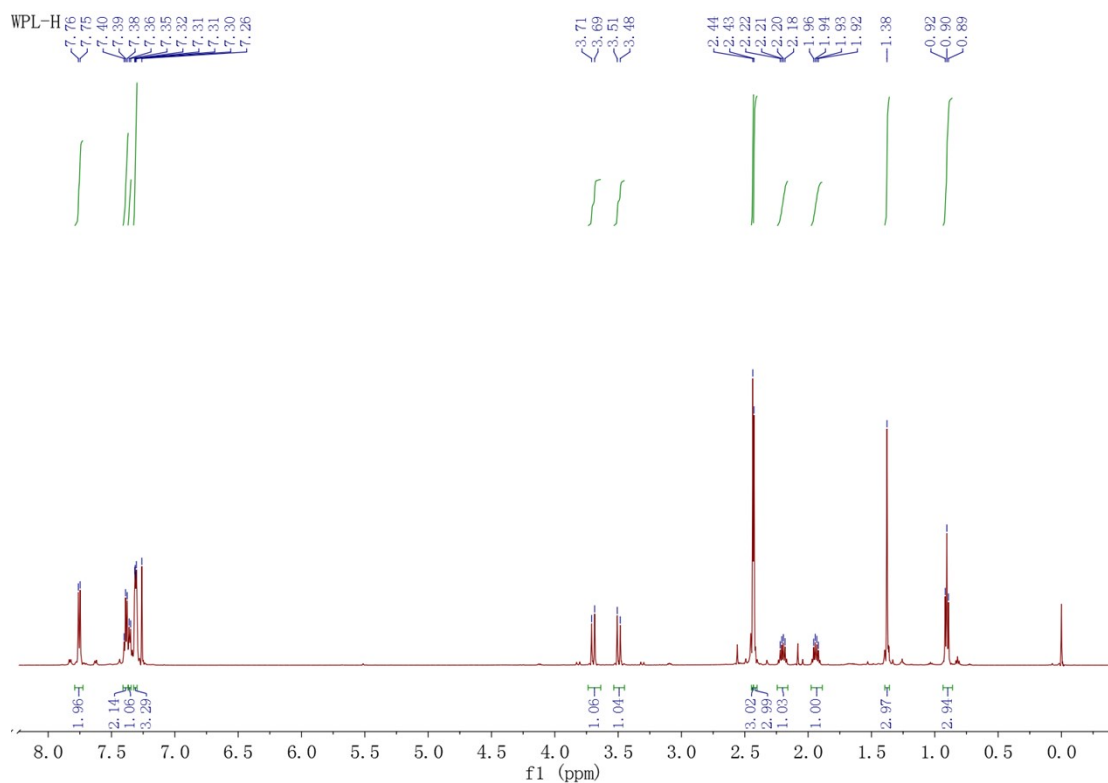
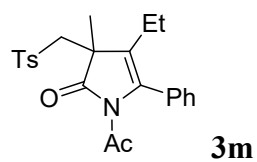


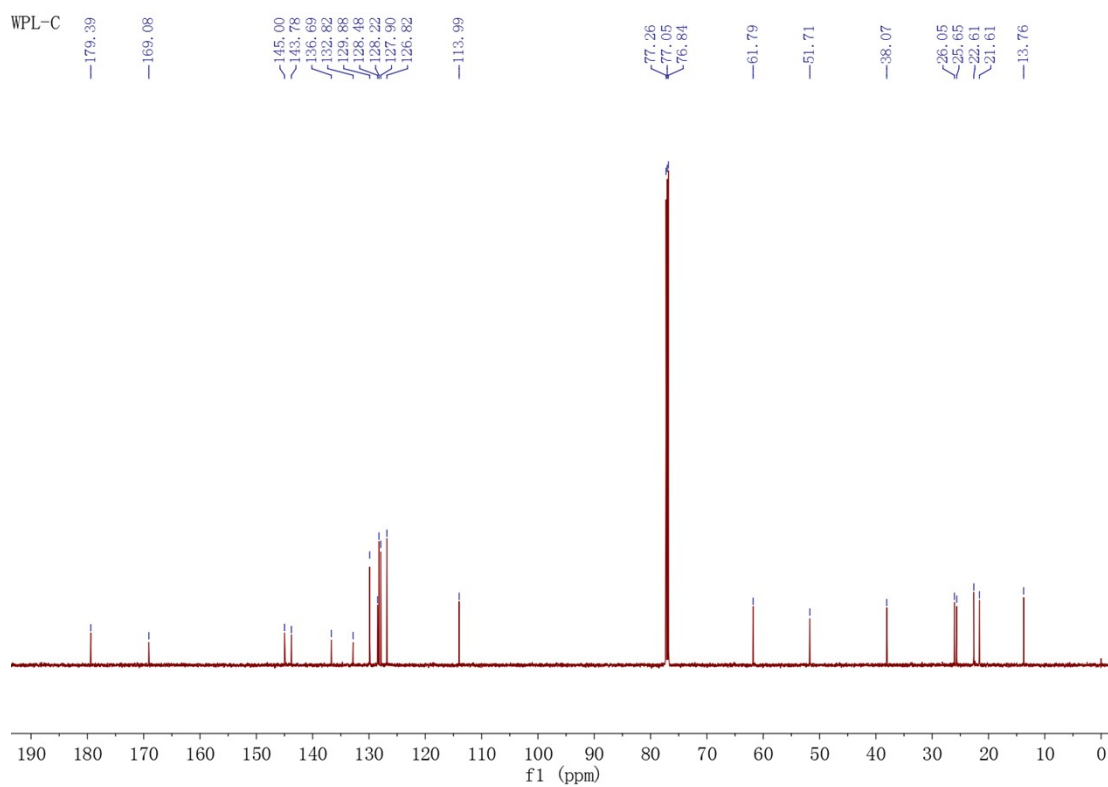
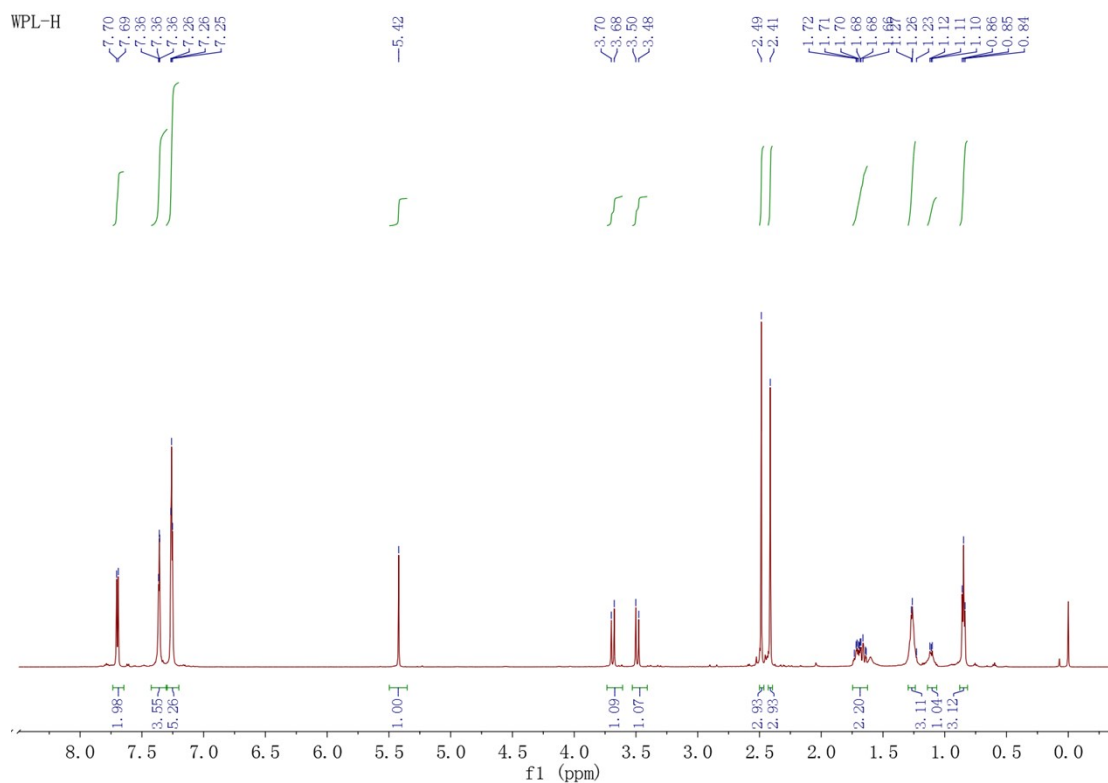
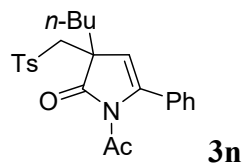


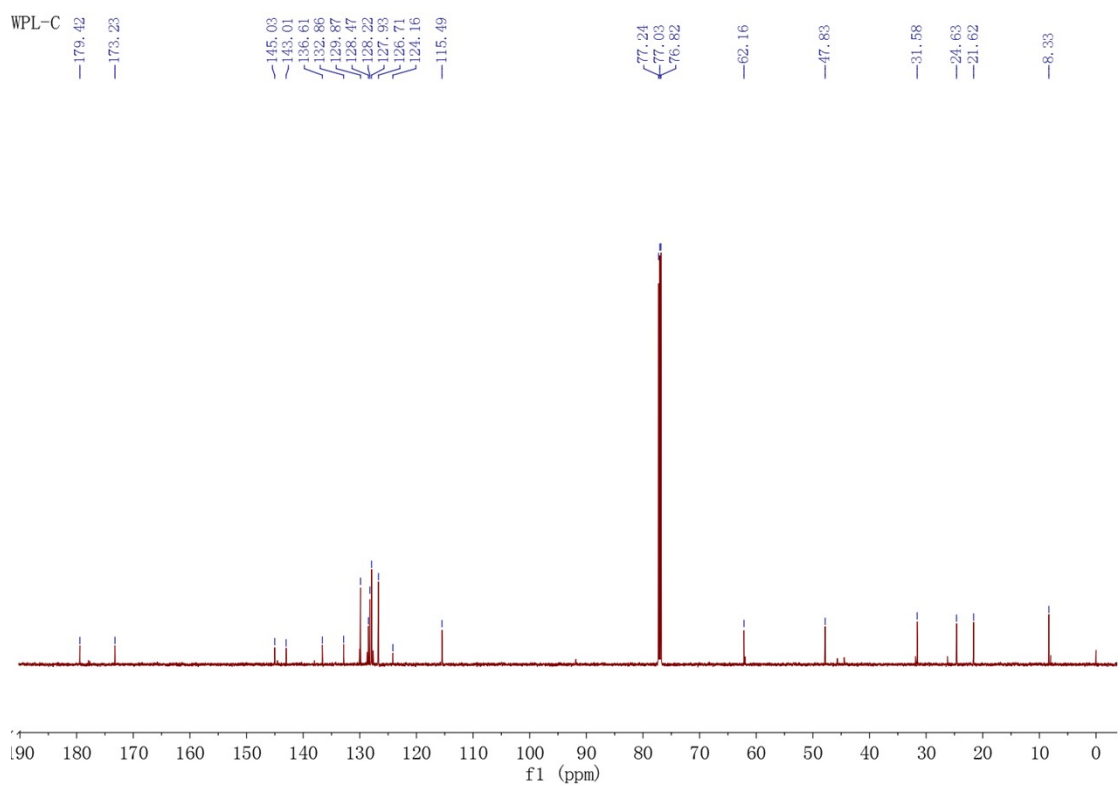
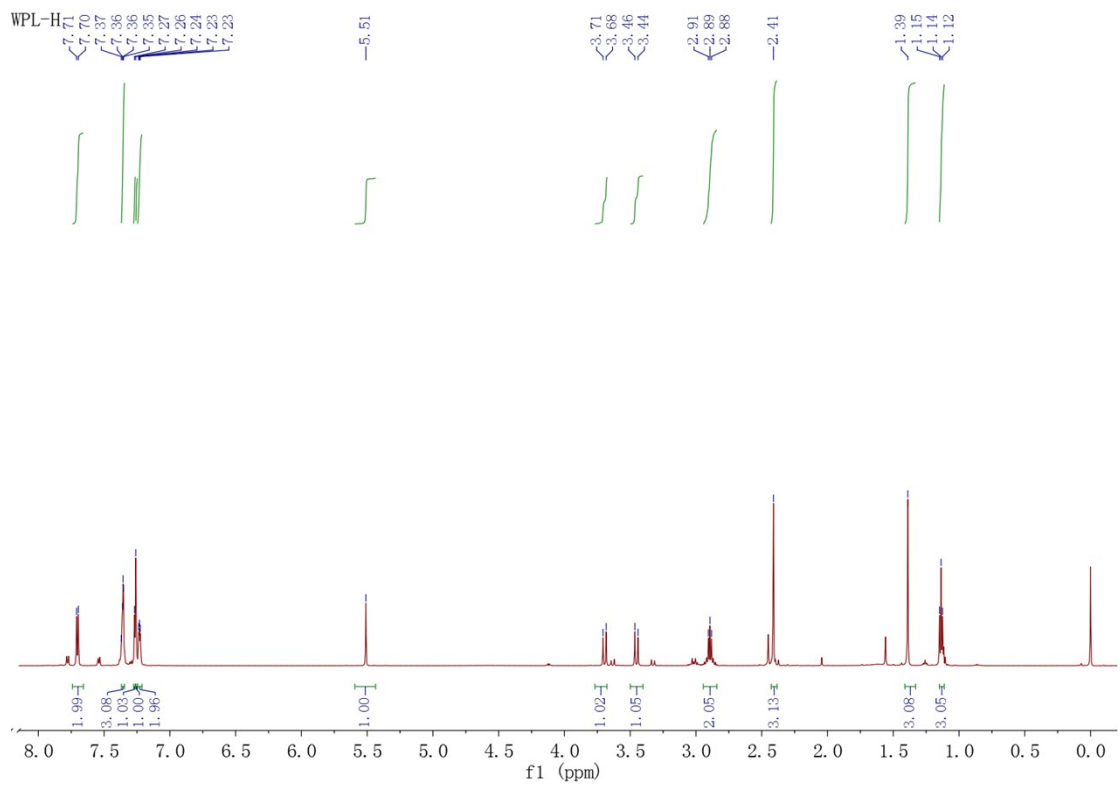
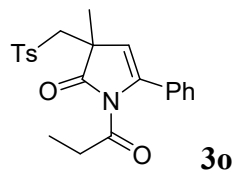


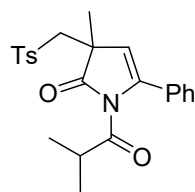




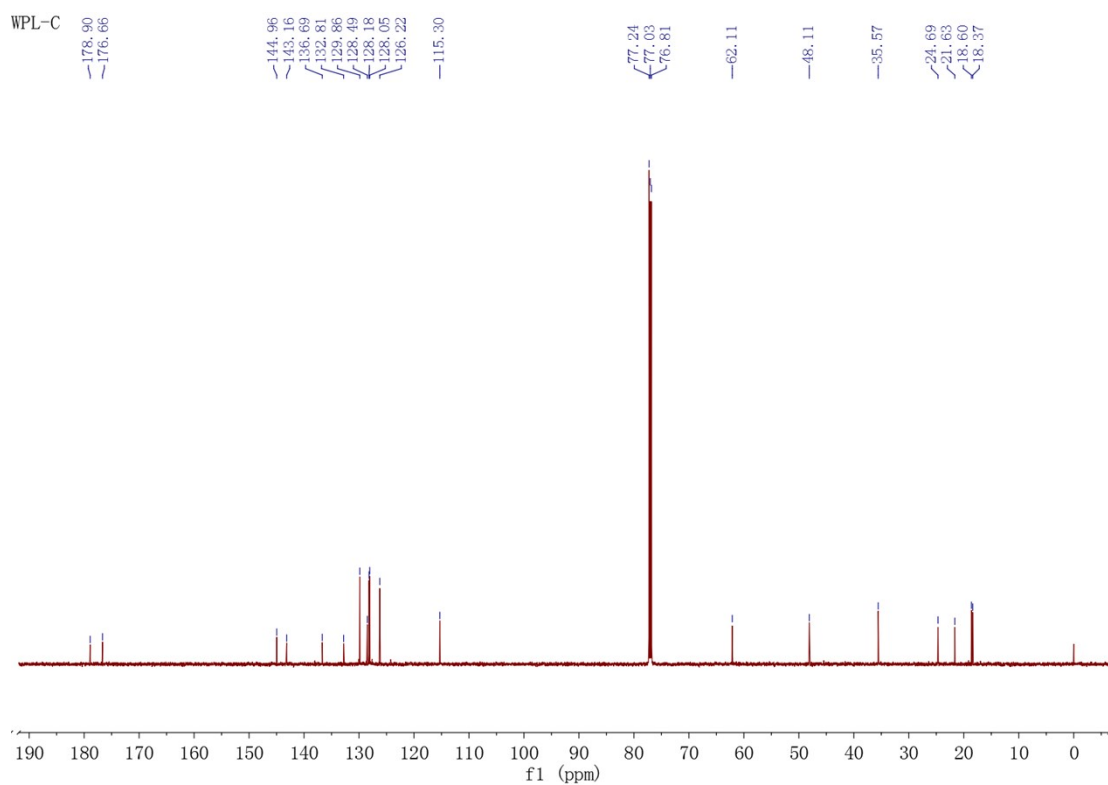
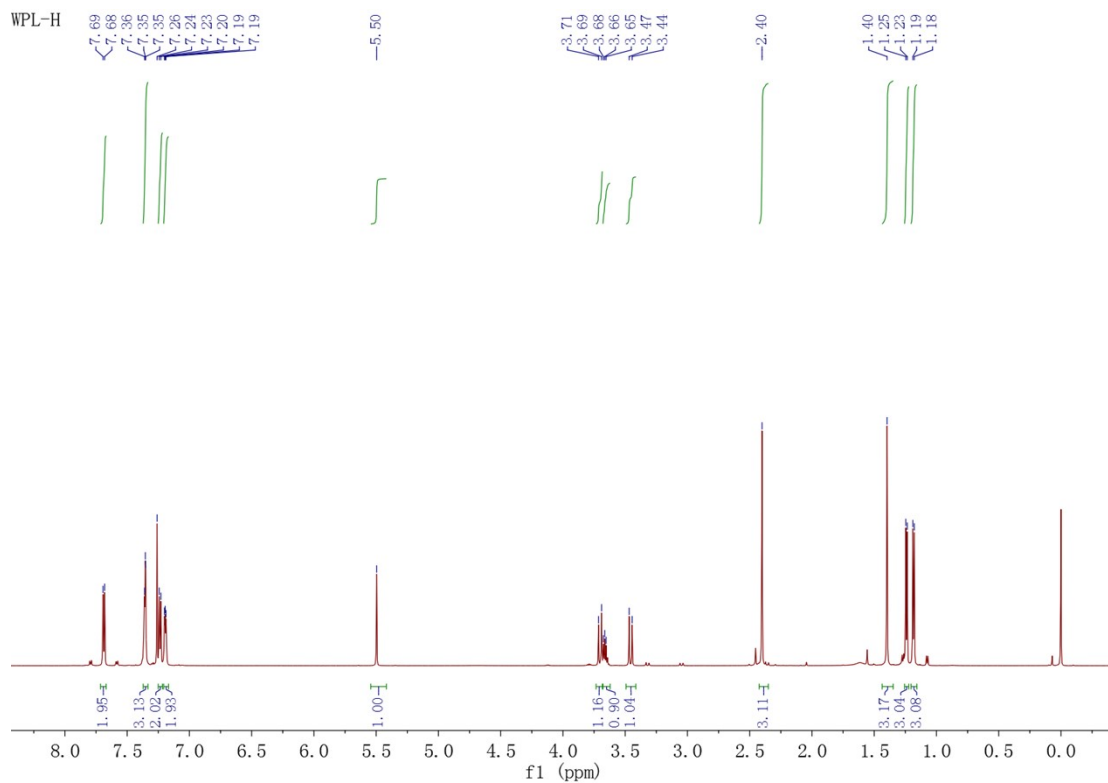


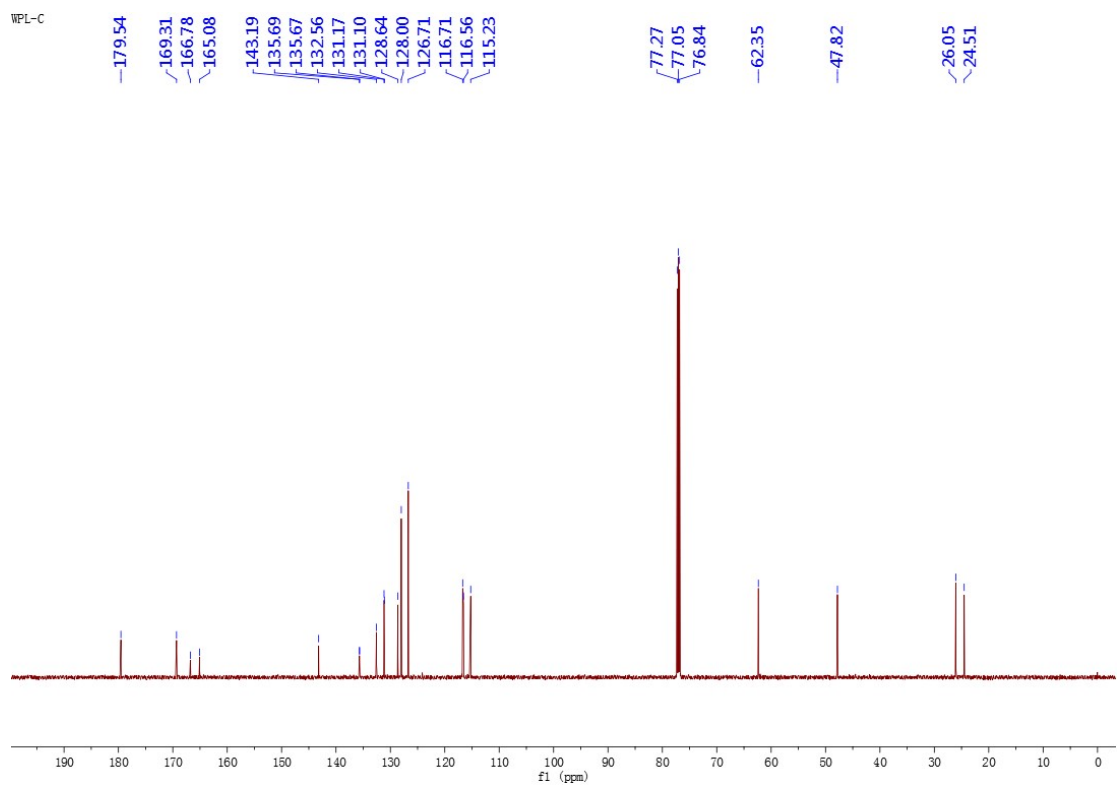
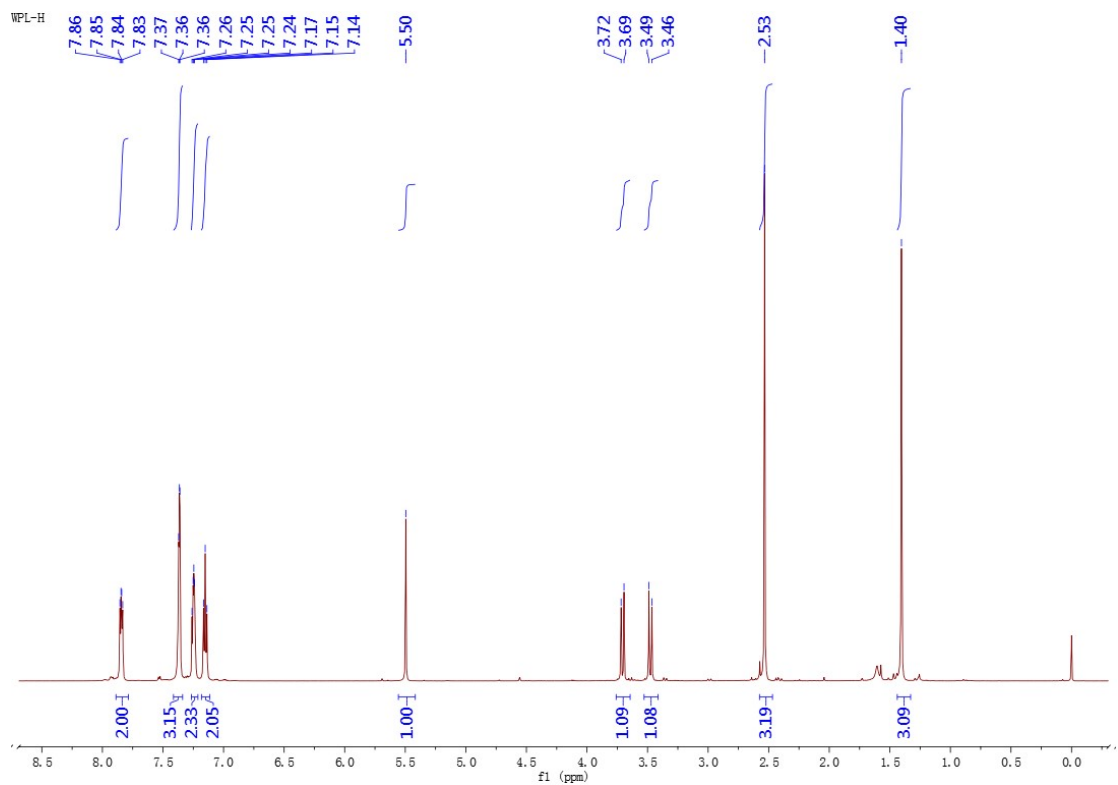
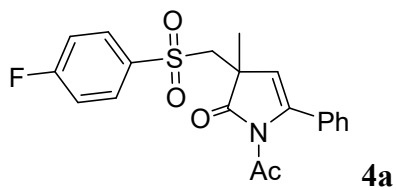


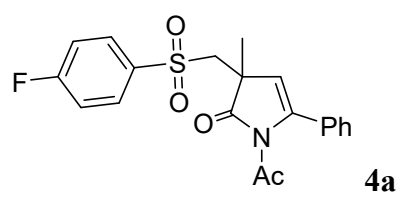




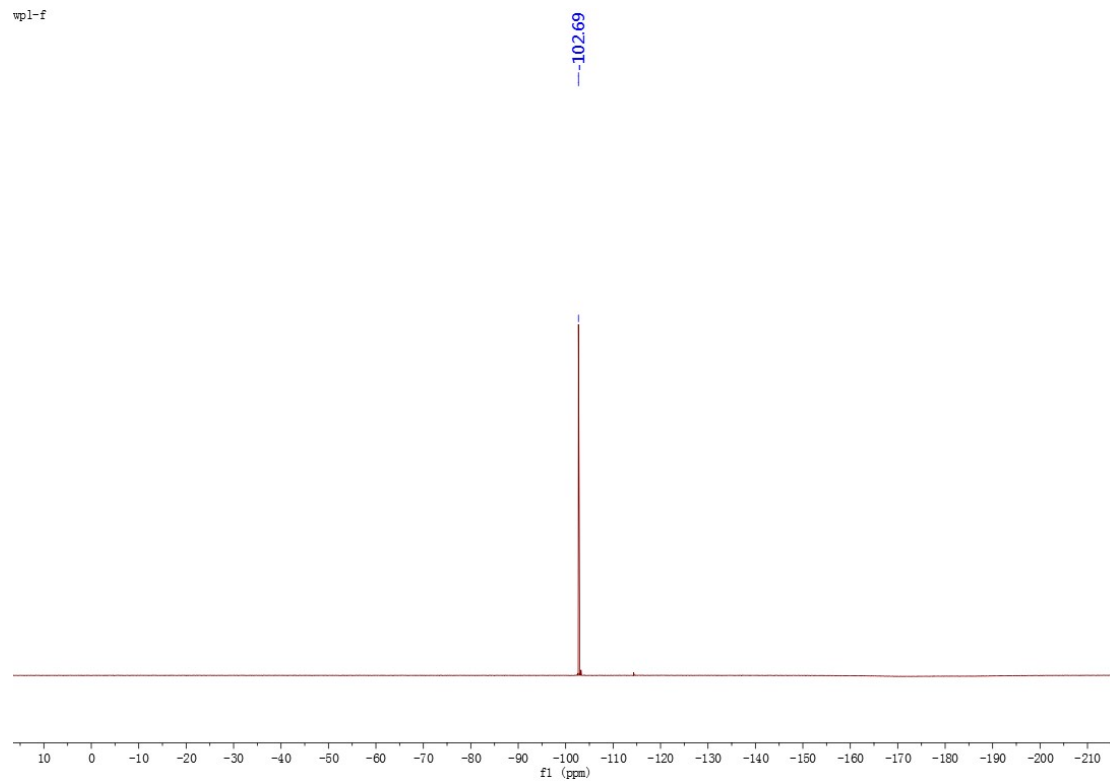
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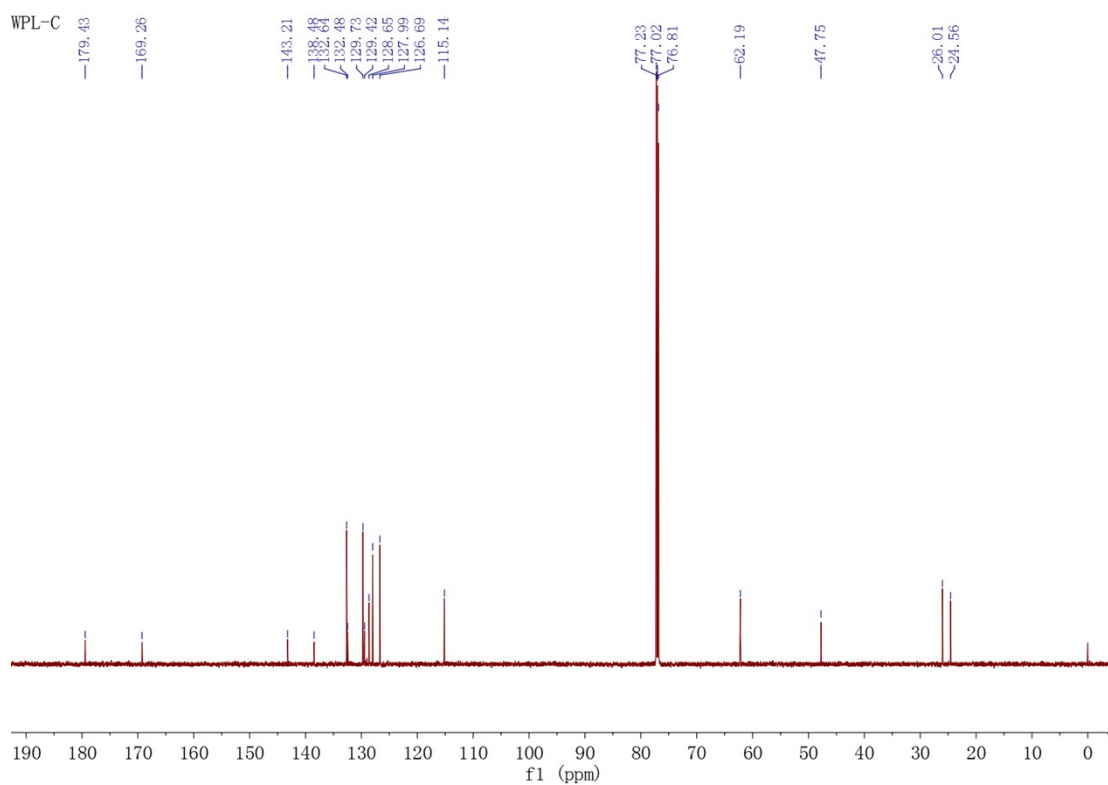
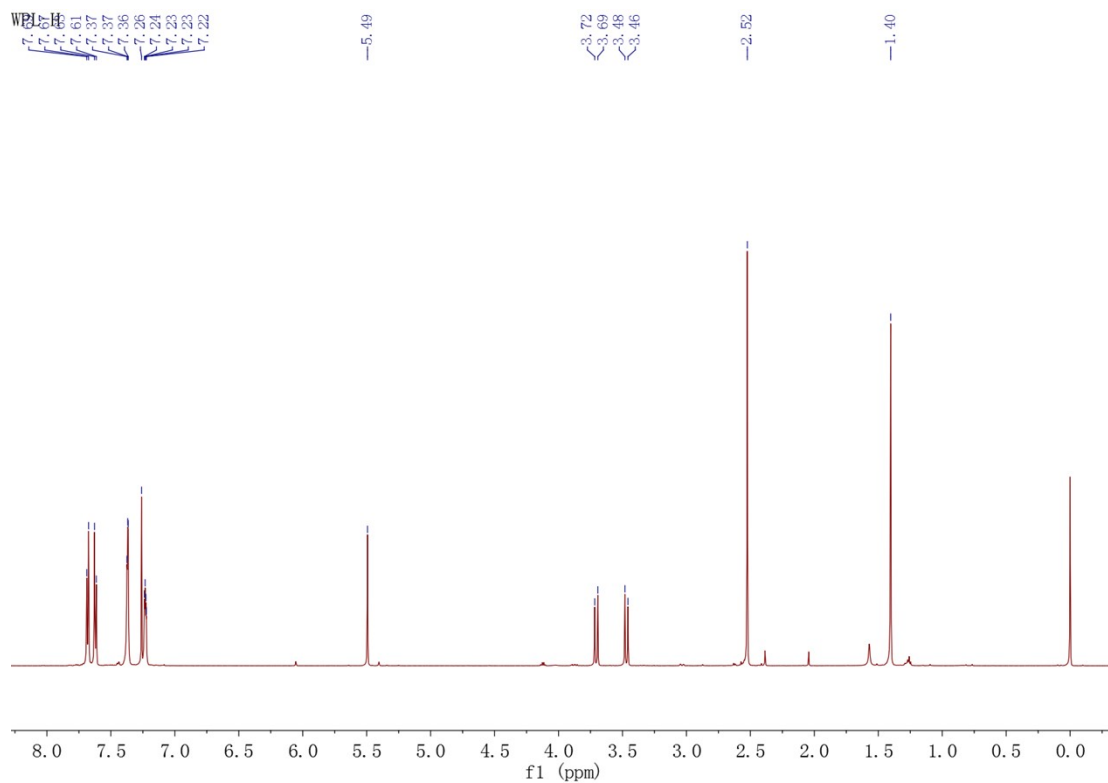
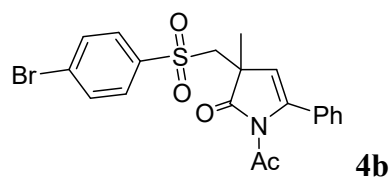


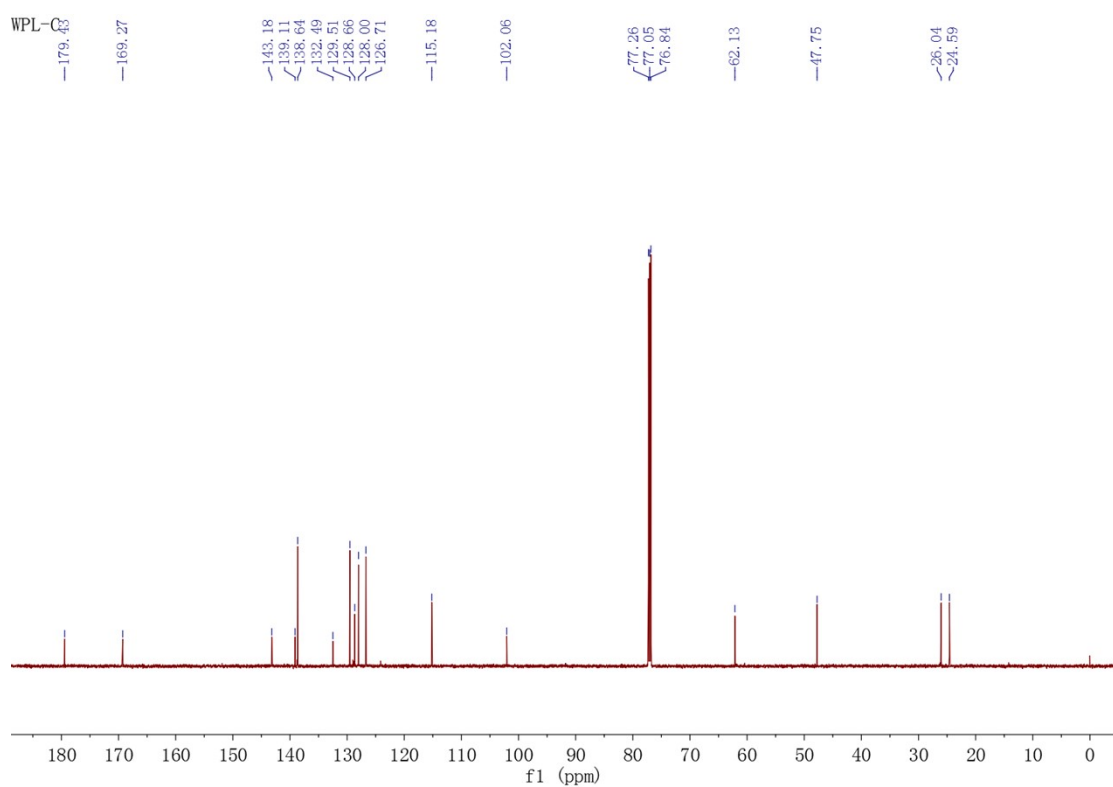
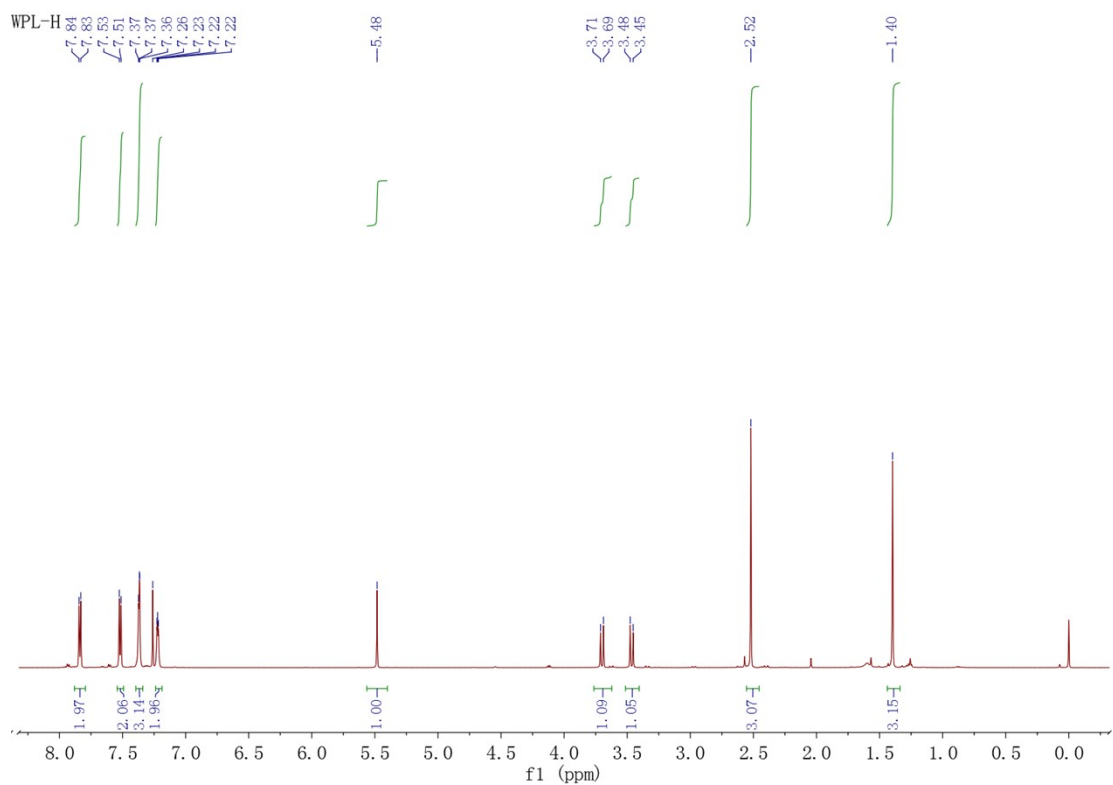
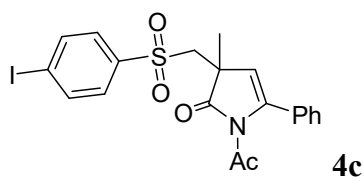


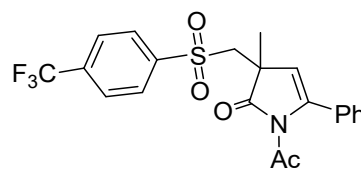


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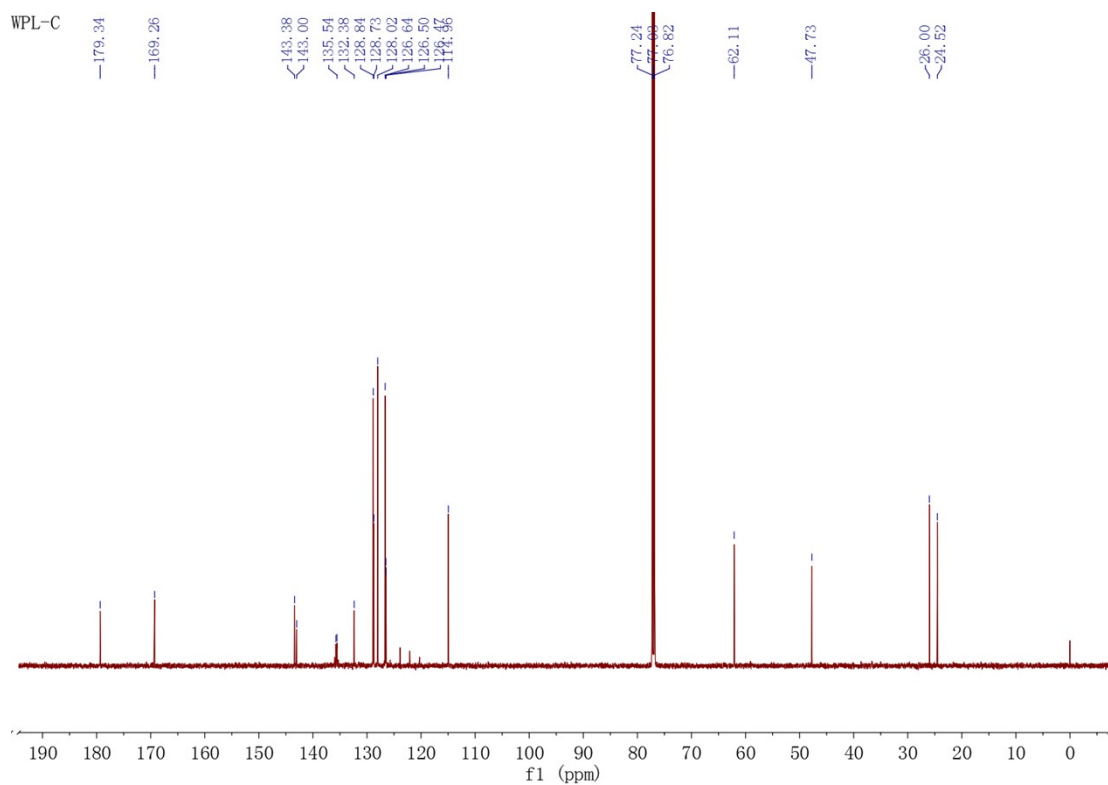
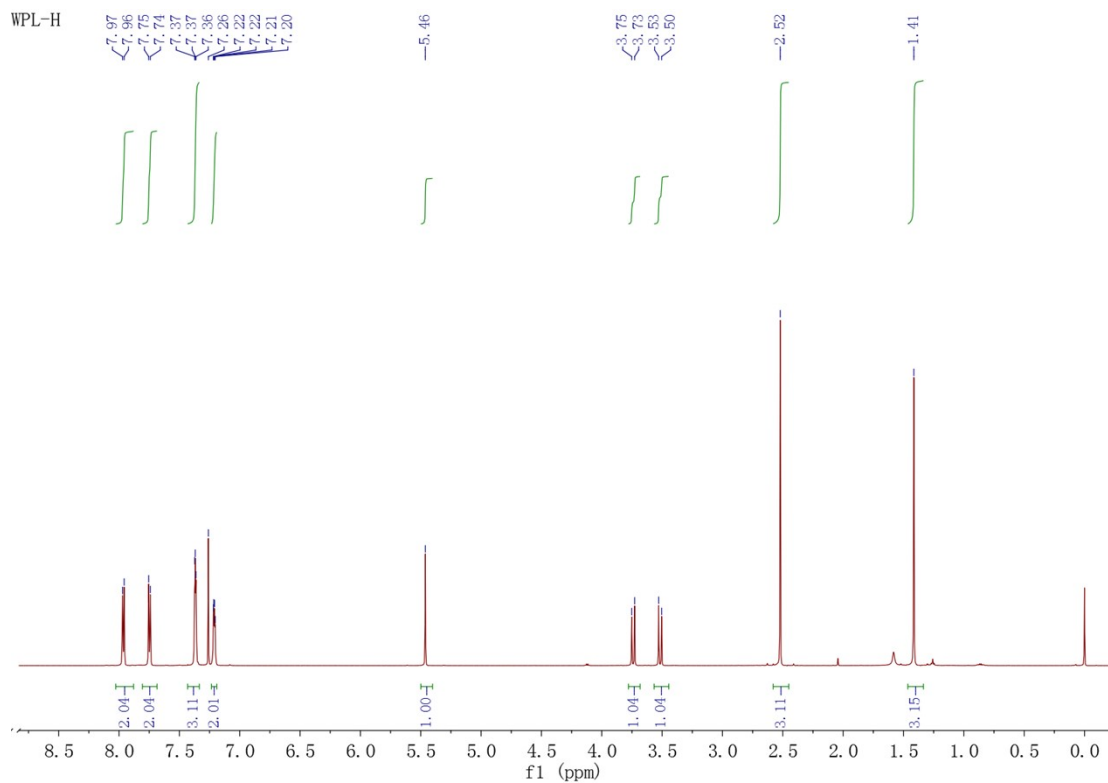


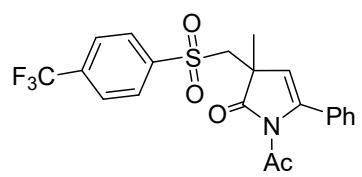






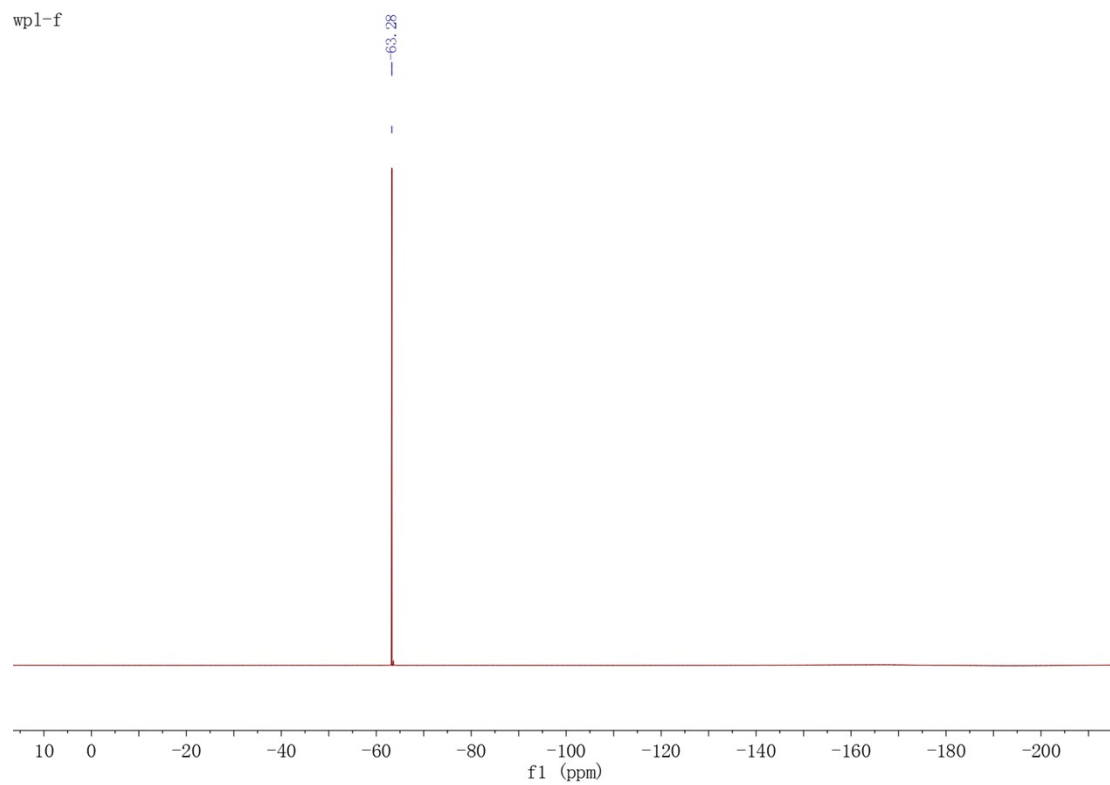
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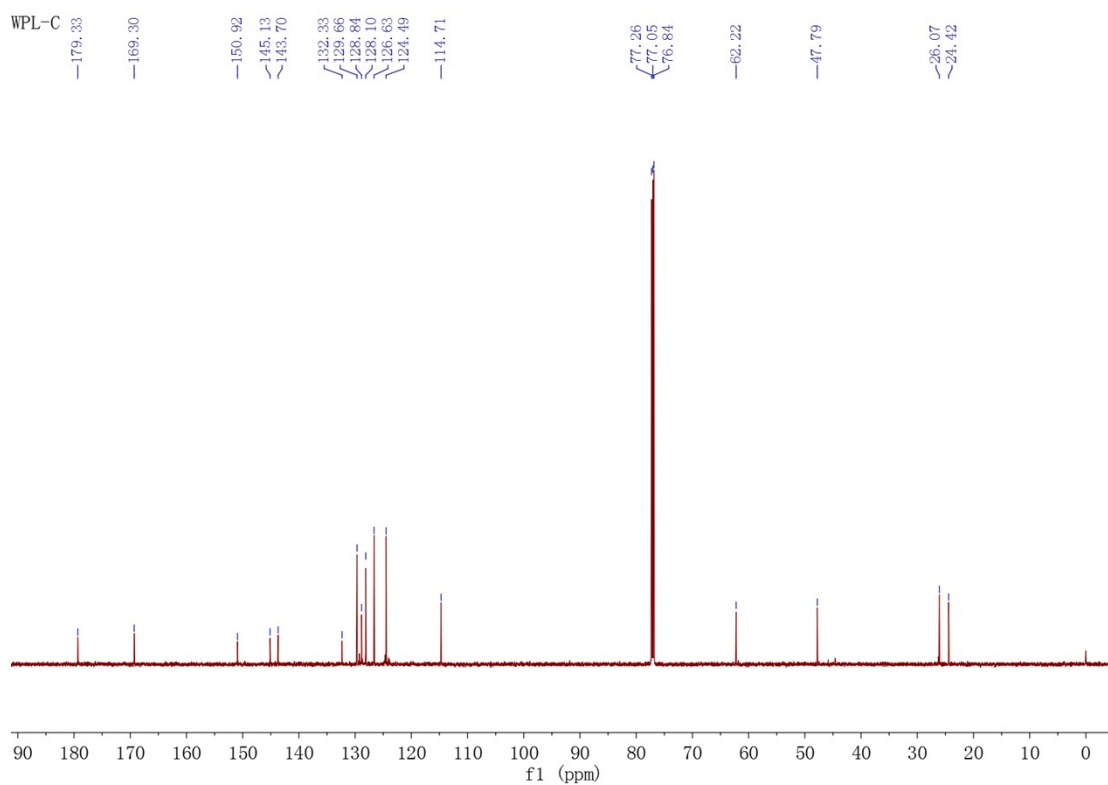
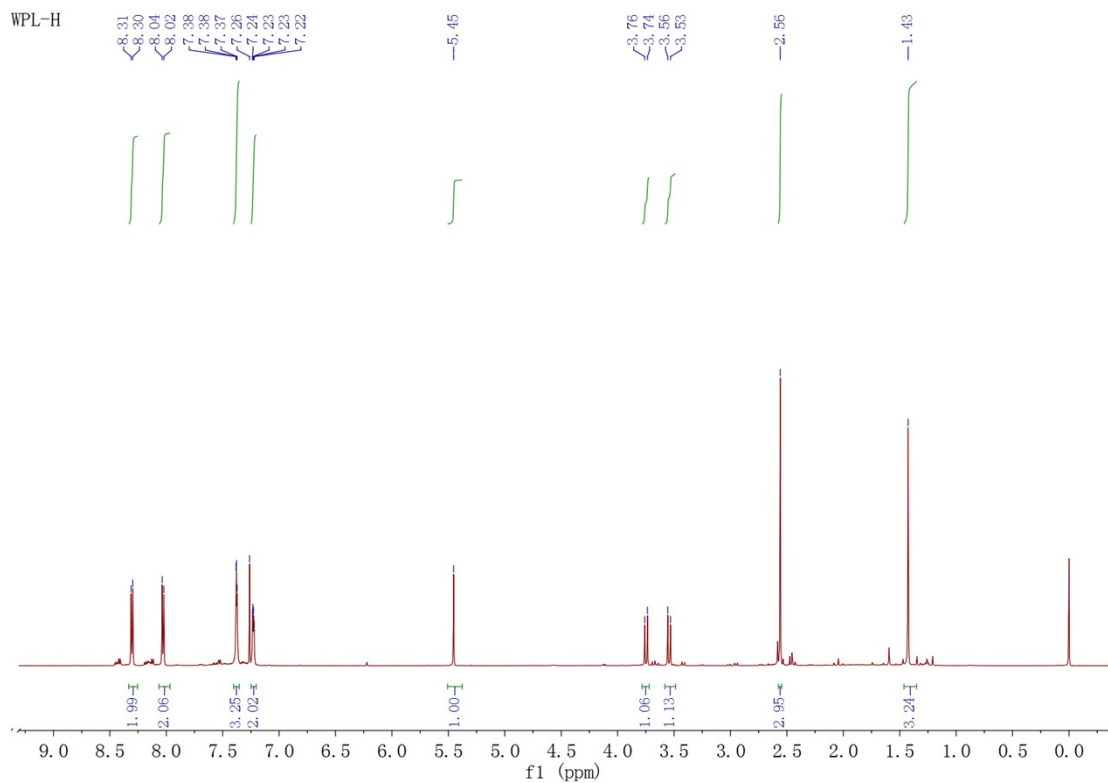
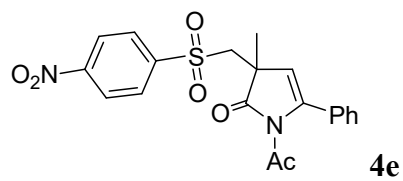


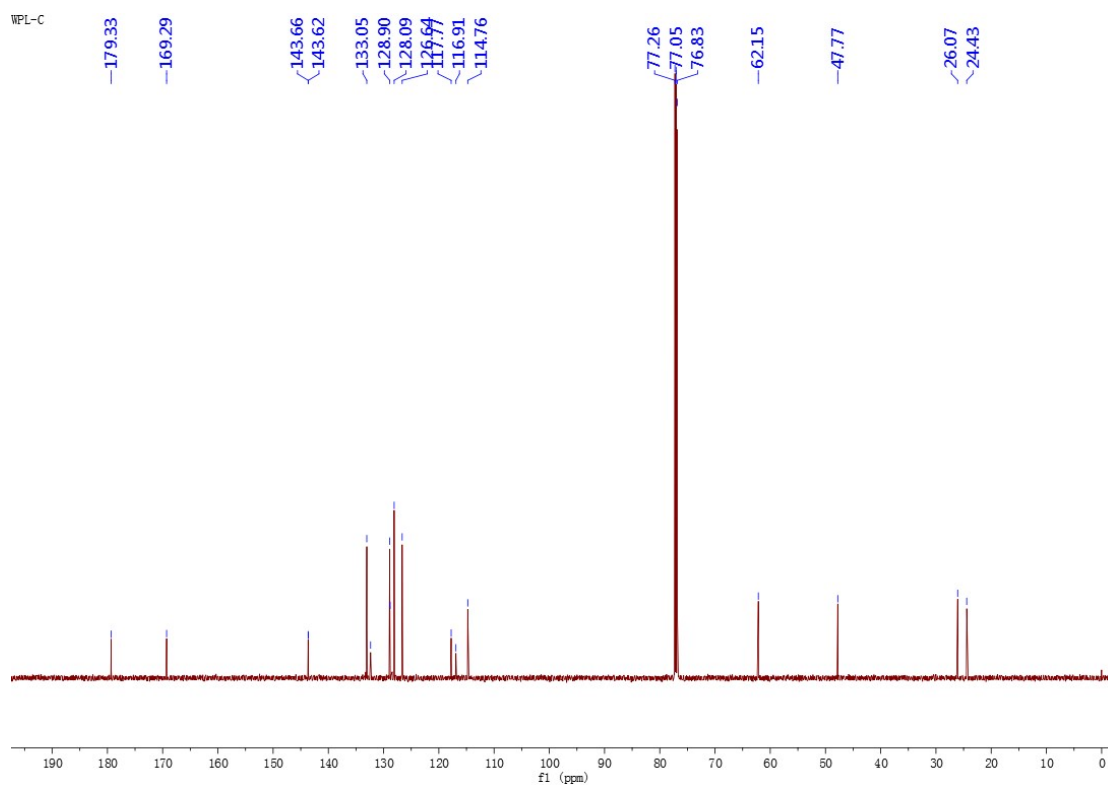
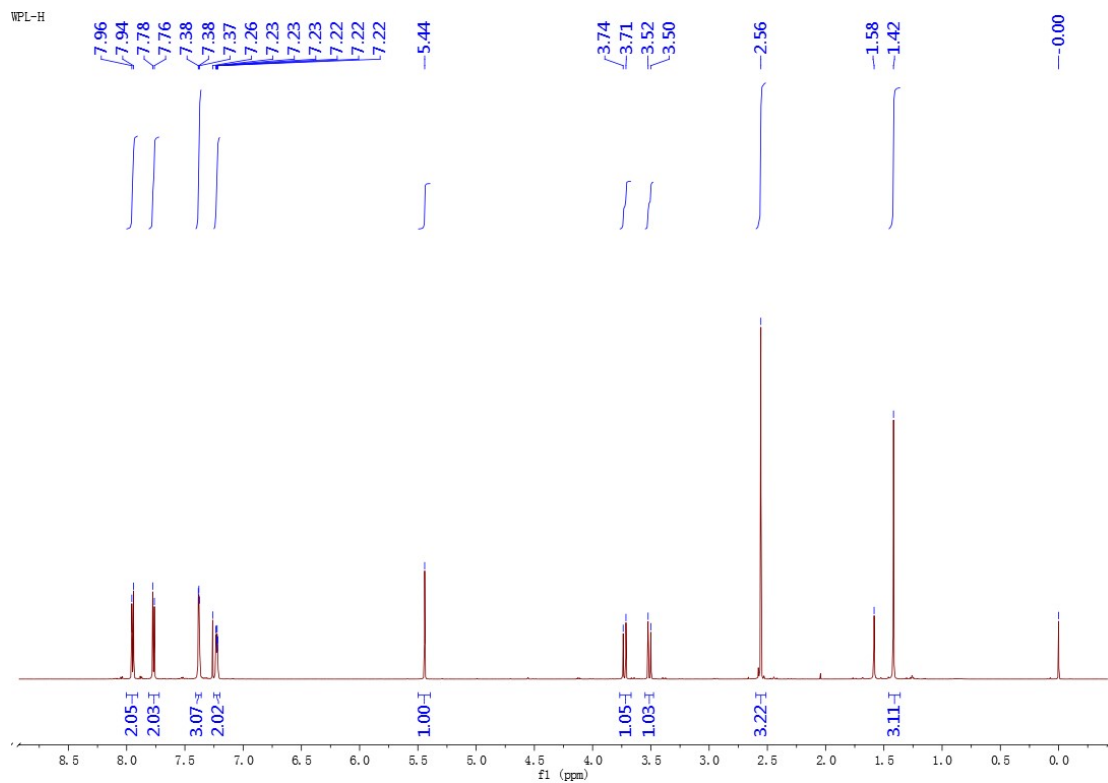
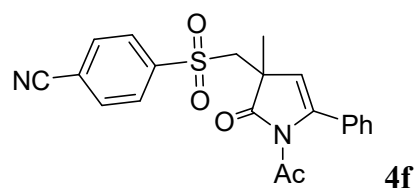


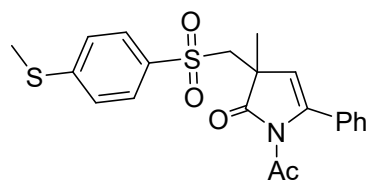
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wpl-f









4g

