Supporting Information

Metal- and Base-Free Tandem Sulfonylation/Cyclization of 1,5-Dienes with Aryldiazonium Salts via the Insertion of Sulfur Dioxide

Xiaohong Wang,[‡a] Fengzhi You,[‡a] Baojian Xiong,[a] Lei Chen,[a] Xuemei Zhang[*a] and Zhong Lian[*a]

a Department of Dermatology, State Key Laboratory of Biotherapy and Cancer Center, West China Hospital, and West China School of Pharmacy, Sichuan University, Chengdu 610041 (P.R. China)

*E-mail: xuemeizhang@scu.edu.cn; lianzhong@scu.edu.cn
‡These authors contributed equally to this work

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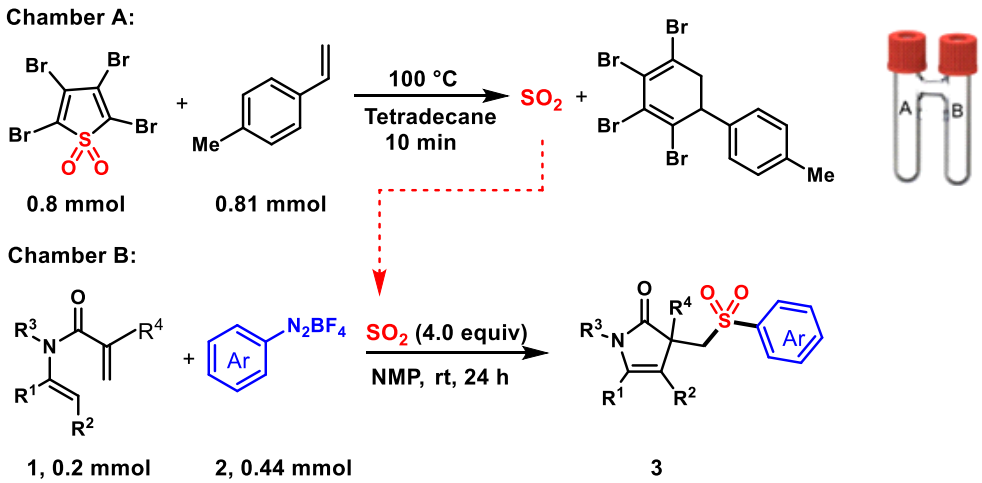
1. General Information

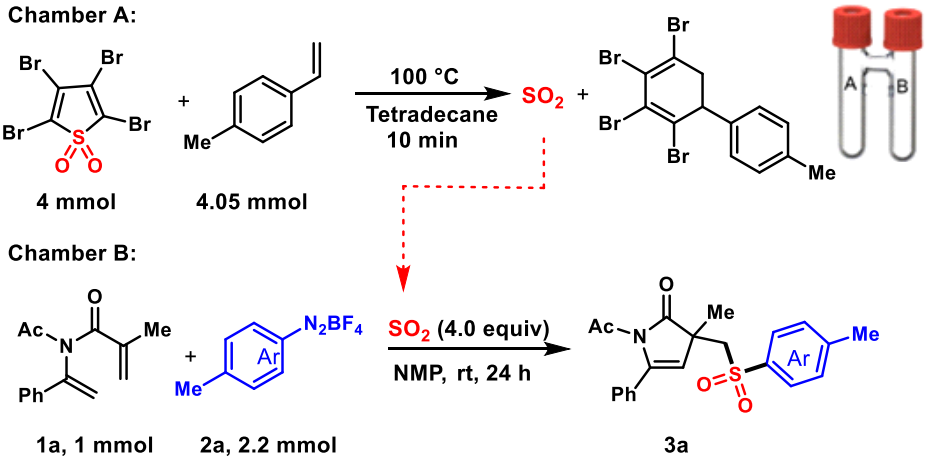
All reactions were carried out in oven dried two-chamber under argon atmosphere glovebox (Vigor, SGI800-750TS-F). All of 1,5-dienes were prepared as reported in the reference.[1] And diazonium salts were freshly prepared according to the literature.[1] Dry N-Methyl-2-pyrrolidone (NMP) was purchased from J&K Scientific. All reactions were using undistilled solvent, without the need of precautions to exclude air and moisture. ^{1}H, ^{19}F, ^{13}C NMR spectra were recorded in DMSO-d_6 on Bruker Avance 400 MHz or 600 MHz spectrometers. High-resolution mass spectrometric measurements were provided by the College of Chemistry, Sichuan University. The molecular ion $[M+H]^+$, $[M+Na]^+$ are given in m/z units. Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions.

2. General Procedure for the Synthesis of Compounds 3



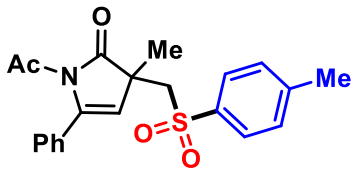
In an argon fulfilled glovebox, perbromothiophene 1,1-dioxide (0.8 mmol), 1-methyl-4-vinylbenzene (0.81 mmol), were added into chamber A with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). 1,5-dienes **1** (0.2 mmol), diazonium salts **2** (0.44 mmol) were added into chamber B with a magnetic stirring bar. Then solvent (NMP, 1.0 mL) was added rapidly by syringe. The two-chamber was sealed and removed out of the glovebox. The chamber A was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. After, the chamber B was allowed to stir at room temperature using heating mantle with 600-800 rpm stirring speed for 24 h. Upon completion, the reaction mixture was added water (50 mL), extracted with ethyl acetate (30 mL×3), dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **3.**

3. The Procedure for the 1 mmol Scale Reaction

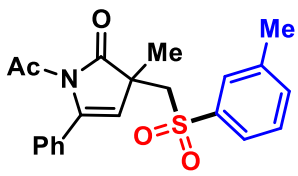


In an argon fulfilled glovebox, perbromothiophene 1,1-dioxide (4 mmol), 1-methyl-4-vinylbenzene (4.05 mmol), were added into chamber A with a magnetic stirring bar, followed by addition of tetradecane (2.0 mL). 1,5-dienes **1a** (1.0 mmol), diazonium salts **2a** (2.2 mmol) were added into chamber B with a magnetic stirring bar. Then solvent (NMP, 2.0 mL) was added rapidly by syringe. The two-chamber was sealed and removed out of the glovebox. The chamber A was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. After, the chamber B was allowed to stir at room temperature using heating mantle with 600-800 rpm stirring speed for 24 h. Upon completion, the reaction mixture was added water (50 mL), extracted with ethyl acetate (30 mL×3), dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate (3:1) as eluent to afford pure products **3a** (356 mg, yield: 93%).

4. Characterization Data of All Compounds 3

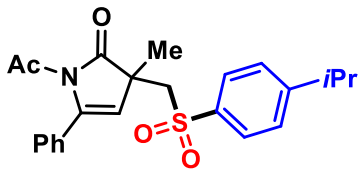


1-acetyl-3-methyl-5-phenyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3a) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (67 mg, 87%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.67 (d, J = 8.2 Hz, 2H), 7.39 (d, J = 8.0 Hz, 2H), 7.36 – 7.34 (m, 3H), 7.14 – 7.11 (m, 2H), 5.35 (s, 1H), 4.05 (d, J = 12.0 Hz, 1H), 3.73 (d, J = 16.0 Hz, 1H), 2.43 (s, 3H), 2.41 (s, 3H), 1.29 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.87, 169.24, 145.00, 141.80, 137.10, 133.23, 130.21, 128.45, 128.26, 128.15, 126.75, 116.66, 61.24, 47.93, 26.06, 24.13, 21.53. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{21}NNaO_4S^+$ 406.1083; found: 406.1079.

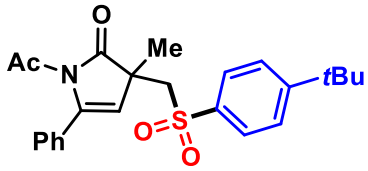


1-acetyl-3-methyl-5-phenyl-3-((m-tolylsulfonyl)methyl)-1,3-dihydro-2H-pyrrol-2-one (3b) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (71 mg, 93%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.60 (d, J = 7.7 Hz, 1H), 7.55 – 7.53 (m, 2H), 7.48 (t, J = 7.5 Hz, 1H), 7.36 – 7.33 (m, 3H), 7.13 – 7.10 (m, 2H), 5.27 (s, 1H), 4.11 (d, J = 12.0 Hz, 1H), 3.74 (d, J = 16.0 Hz, 1H), 2.46 (s, 3H), 2.27 (s, 3H), 1.29 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 179.91, 169.23, 141.91, 139.90, 139.49, 134.91, 133.16, 129.61, 128.42, 128.33, 128.14, 126.63, 125.33, 116.39, 61.13, 47.93,

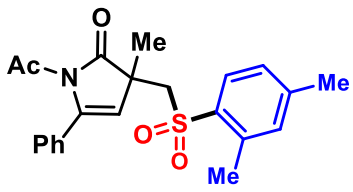
26.06, 24.01, 21.09. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{21}NNaO_4S^+$ 406.1083; found: 406.1080.



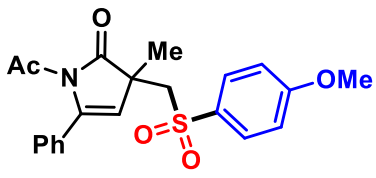
1-acetyl-3-(((4-isopropylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3c) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (74 mg, 90%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.70 (d, J = 8.3 Hz, 2H), 7.44 (d, J = 8.3 Hz, 2H), 7.34 – 7.32 (m, 3H), 7.09 – 7.07 (m, 2H), 5.26 (s, 1H), 4.08 (d, J = 16.0 Hz, 1H), 3.74 (d, J = 16.0 Hz, 1H), 3.05 – 2.95 (m, 1H), 2.43 (s, 3H), 1.30 (s, 3H), 1.23 (d, J = 4.0 Hz, 3H), 1.22 (d, J = 4.0 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.84, 169.22, 155.31, 141.76, 137.42, 133.19, 128.44, 128.37, 128.11, 127.73, 126.69, 116.65, 61.06, 47.89, 33.94, 26.11, 24.08, 23.93, 23.81. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{23}H_{25}NNaO_4S^+$ 434.1397; found: 434.1376.



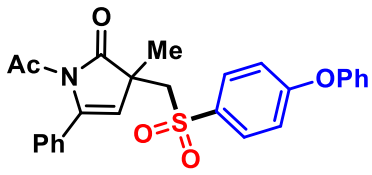
1-acetyl-3-(((4-(tert-butyl)phenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3d) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (71 mg, 83%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.70 (d, J = 8.4 Hz, 2H), 7.57 (d, J = 8.5 Hz, 2H), 7.33 – 7.31 (m, 3H), 7.07 – 7.05 (m, 2H), 5.22 (s, 1H), 4.09 (d, J = 12.0 Hz, 1H), 3.74 (d, J = 16.0 Hz, 1H), 2.43 (s, 3H), 1.31 (s, 9H), 1.29 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.82, 169.24, 157.42, 141.75, 137.06, 133.17, 128.44, 128.09, 126.67, 126.64, 116.64, 61.03, 47.89, 35.44, 31.17, 26.12, 24.07. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{24}H_{27}NNaO_4S^+$ 448.1553; found: 448.1551.



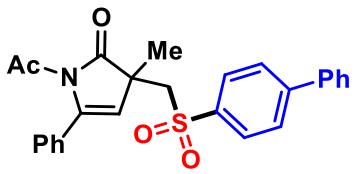
1-acetyl-3-(((2,4-dimethylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3e) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (53 mg, 67%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.58 (d, J = 8.0 Hz, 1H), 7.37 – 7.34 (m, 3H), 7.28 (s, 1H), 7.16 – 7.14 (m, 2H), 7.09 (d, J = 8.0 Hz, 1H), 5.45 (s, 1H), 3.91 (d, J = 12.0 Hz, 1H), 3.76 (d, J =12.0 Hz, 1H), 2.59 (s, 3H), 2.38 (s, 3H), 2.34 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 179.85, 169.11, 144.99, 141.66, 138.27, 134.87, 133.68, 133.28, 130.18, 128.37, 128.09, 127.39, 126.79, 116.77, 60.51, 47.92, 25.98, 24.32, 21.21, 20.04. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{22}H_{23}NNaO_4S^+$ 420.1240; found: 420.1239.



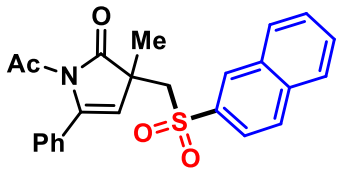
1-acetyl-3-(((4-methoxyphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3f) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (66 mg, 83%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.70 (d, J = 8.9 Hz, 2H), 7.36 – 7.33 (m, 3H), 7.17 – 7.14 (m, 2H), 7.07 (d, J = 8.9 Hz, 2H), 5.35 (s, 1H), 4.01 (d, J = 12.0 Hz, 1H), 3.84 (s, 3H), 3.71 (d, J = 16.0 Hz, 1H), 2.43 (s, 3H), 1.29 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 179.85, 169.22, 163.72, 141.71, 133.23, 131.38, 130.54, 128.38, 128.12, 126.72, 116.71, 114.88, 61.44, 56.27, 47.94, 26.03, 24.13. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{21}NNaO_5S^+$ 422.1033; found: 422.1028.



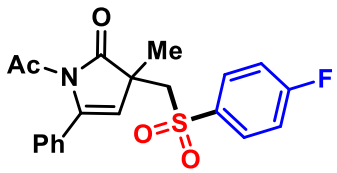
1-acetyl-3-methyl-3-(((4-phenoxyphenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3g) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (79 mg, 86%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.76 (d, J = 8.7 Hz, 2H), 7.51 – 7.48 (m, 2H), 7.34 – 7.30 (m, 4H), 7.16 – 7.12 (m, 4H), 7.05 (d, J = 8.7 Hz, 2H), 5.36 (s, 1H), 4.08 (d, J = 12.0 Hz, 1H), 3.74 (d, J = 12.0 Hz, 1H), 2.46 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.89, 169.29, 162.09, 154.96, 141.82, 133.65, 133.19, 130.94, 130.91, 128.45, 128.15, 126.71, 125.66, 120.67, 117.89, 116.69, 61.32, 47.99, 26.11, 24.12. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{26}H_{23}NNaO_5S^+$ 484.1189; found: 484.1185.



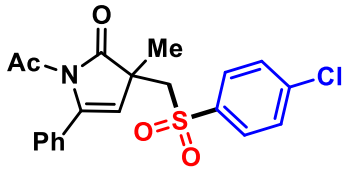
2-(([1,1'-biphenyl]-4-ylsulfonyl)methyl)-1-acetyl-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3h) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (85 mg, 95%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.86 (s, 4H), 7.74 – 7.72 (m, 2H), 7.57 – 7.53 (m, 2H), 7.50 – 7.47 (m, 1H), 7.34 – 7.31(m, 3H), 7.15 – 7.11 (m, 2H), 5.36 (s, 1H), 4.16 (d, J = 16.0 Hz, 1H), 3.81 (d, J = 12.0 Hz, 1H), 2.42 (s, 3H), 1.32 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.84, 169.25, 145.85, 141.86, 138.73, 138.59, 133.22, 129.67, 129.26, 128.92, 128.46, 128.16, 127.94, 127.65, 126.76, 116.68, 61.15, 47.95, 26.07, 24.12. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{26}H_{23}NNaO_4S^+$ 468.1240; found: 468.1236.



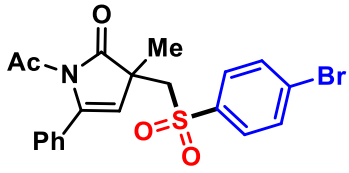
1-acetyl-3-methyl-3-((naphthalen-2-ylsulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3i) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (73 mg, 87%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.59 (d, J = 8.6 Hz, 1H), 8.35 (d, J = 8.2 Hz, 1H), 8.18 (d, J = 8.1 Hz, 1H), 8.05 (d, J = 4.0 Hz, 1H), 7.83 (t, J =8.0 Hz, 1H), 7.74 (t, J = 7.5 Hz, 1H), 7.59 (t, J = 7.8 Hz, 1H), 7.38 – 7.35 (m, 3H), 7.20 – 7.17 (m, 2H), 5.45 (s, 1H), 4.09 (d, J = 12.0 Hz, 1H), 3.96 (d, J = 12.0 Hz, 1H), 2.41 (s, 3H), 1.29 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.98, 169.27, 141.95, 136.06, 134.66, 134.29, 133.34, 130.91, 129.88, 129.31, 128.46, 128.45, 128.20, 127.66, 126.83, 125.02, 124.23, 116.69, 61.27, 48.09, 26.12, 24.17. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{24}H_{21}NNaO_4S^+$ 442.1083; found: 442.1079.



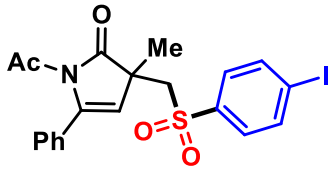
1-acetyl-3-(((4-fluorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3j) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (62 mg, 80%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.88 – 7.85 (m, 2H), 7.46 – 7.41 (m, 2H), 7.36 – 7.33 (m, 3H), 7.15 – 7.13 (m, 2H), 5.37 (s, 1H), 4.14 (d, J = 16.0 Hz, 1H), 3.79 (d, J = 16.0 Hz, 1H), 2.45 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.85, 169.29, 165.53 (d, J = 253.5 Hz), 141.93, 136.37 (d, J = 3.0 Hz), 133.15, 131.50 (d, J = 10.1 Hz), 128.21, 126.71, 117.00 (d, J = 23.2 Hz), 116.56, 61.16, 47.97, 26.08, 24.05. ^{19}F NMR (376 MHz, DMSO-d_6) δ -104.54. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{18}FNNaO_4S^+$ 410.0833; found: 410.0833.



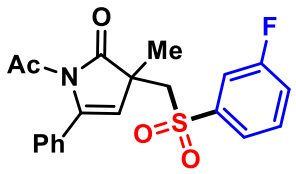
1-acetyl-3-(((4-chlorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3k) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (74 mg, 92%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.81 – 7.79 (m, 2H), 7.67 – 7.65 (m, 2H), 7.36 – 7.34 (m, 3H), 7.14 – 7.11 (m, 2H), 5.37 (s, 1H), 4.16 (d, *J* = 16.0 Hz, 1H), 3.81 (d, *J* = 16.0 Hz, 1H), 2.45 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.79, 169.27, 141.96, 139.50, 138.80, 133.12, 130.19, 129.93, 128.51, 128.19, 126.72, 116.55, 61.01, 47.94, 26.07, 24.04. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{18}ClNNaO_4S^+$ 426.0537; found: 426.0535.



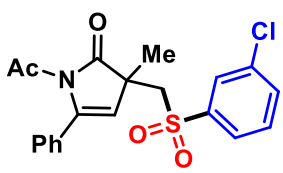
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3l) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (83 mg, 93%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.82 – 7.79 (m, 2H), 7.73 – 7.70 (m, 2H), 7.37 – 7.33 (m, 3H), 7.13 – 7.10 (m, 2H), 5.36 (s, 1H), 4.15 (d, *J* = 16.0 Hz, 1H), 3.80 (d, *J* = 16.0 Hz, 1H), 2.45 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.76, 169.25, 141.94, 139.19, 133.11, 132.89, 130.21, 128.61, 128.51, 128.19, 126.73, 116.55, 60.96, 47.93, 26.07, 24.04. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{18}{}^{79}BrNNaO_4S^+$ 470.0032; found: 470.0032; $C_{20}H_{18}{}^{81}BrNNaO_4S^+$ 472.0012; found: 472.0033.



1-acetyl-3-(((4-iodophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3m) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (87mg, 88%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.99 – 7.95 (m, 2H), 7.55 – 7.52 (m, 2H), 7.37 – 7.33 (m, 3H), 7.12 – 7.09 (m, 2H), 5.35 (s, 1H), 4.12 (d, *J* = 12.0 Hz, 1H), 3.78 (d, *J* = 12.0 Hz, 1H), 2.44 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 179.70, 169.19, 141.86, 139.46, 138.67, 133.06, 129.72, 128.46, 128.13, 126.70, 116.53, 103.19, 60.89, 47.86, 26.03, 24.01. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{18}INNaO_4S^+$ 517.9893; found: 517.9889.

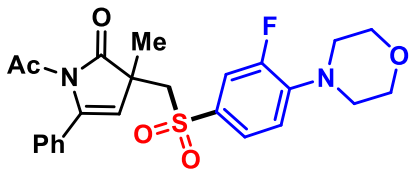


1-acetyl-3-(((3-fluorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3n) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (66 mg, 85%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.65 – 7.61 (m, 4H), 7.35 – 7.33 (m, 3H), 7.12 – 7.09 (m, 2H), 5.33 (s, 1H), 4.23 (d, *J* = 16.0 Hz, 1H), 3.83 (d, *J* = 16.0 Hz, 1H), 2.46 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.83, 169.31, 162.08 (d, *J* = 250.5 Hz), 142.10, 142.05 (d, *J* = 4.0 Hz), 133.07, 132.19 (d, *J* = 8.1 Hz), 128.52, 128.19, 126.62, 124.46 (d, *J* = 4.0 Hz), 121.64 (d, *J* = 21.2 Hz), 116.37, 115.34 (d, *J* = 25.2 Hz), 60.89, 47.95, 26.09, 23.95. ^{19}F NMR (376 MHz, DMSO-d_6) δ -109.98. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{18}FNNaO_4S^+$ 410.0833; found: 410.0836.

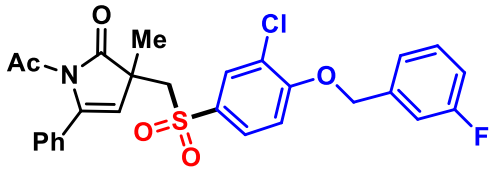


1-acetyl-3-(((3-chlorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3o) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent

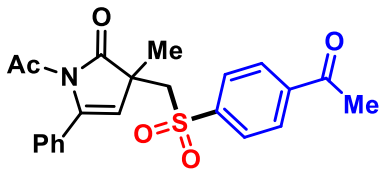
(76 mg, 94%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.84 – 7.80 (m, 2H), 7.78 – 7.76 (m, 1H), 7.64 – 7.60 (m, 1H), 7.35 – 7.33 (m, 3H), 7.13 – 7.11 (m, 2H), 5.33 (s, 1H), 4.25 (d, *J* = 16.0 Hz, 1H), 3.85 (d, *J* = 12.0 Hz, 1H), 2.46 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.85, 169.29, 142.14, 141.92, 134.43, 134.41, 133.06, 131.80, 128.52, 128.22, 127.88, 126.97, 126.65, 116.29, 60.93, 47.97, 26.10, 23.96. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{18}ClNNaO_4S^+$ 426.0537; found: 426.0537.



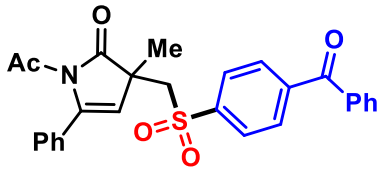
1-acetyl-3-(((3-fluoro-4-morpholinophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3p) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (79 mg, 84%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.51 – 7.47 (m, 2H), 7.35 – 7.33 (m, 3H), 7.13 – 7.09 (m, 3H), 5.32 (s, 1H), 4.10 (d, *J* = 12.0 Hz, 1H), 3.77 – 3.74 (m, 5H), 3.16 – 3.13 (m, 4H), 2.44 (s, 3H), 1.29 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.81, 169.28, 153.42 (d, *J* = 249.5 Hz), 144.44 (d, *J* = 7.1 Hz), 141.81, 133.12, 131.44 (d, *J* = 6.1 Hz), 128.48, 128.16, 126.66, 125.76 (d, *J* = 3.0 Hz), 119.04 (d, *J* = 4.0 Hz), 116.63, 116.29 (d, *J* = 24.2 Hz), 66.34, 61.17, 50.13, 50.09, 47.95, 26.10, 24.11. ^{19}F NMR (376 MHz, DMSO-d_6) δ -119.65. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{24}H_{25}FN_2NaO_5S^+$ 495.1360; found: 495.1360.



1-acetyl-3-(((3-chloro-4-((3-fluorobenzyl)oxy)phenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3q) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (75 mg, 71%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.83 – 7.82 (m, 1H), 7.74 – 7.72 (m, 1H), 7.52 – 7.46 (m, 1H), 7.40 – 7.38 (m, 1H), 7.35 – 7.31 (m, 5H), 7.24 – 7.20 (m, 1H), 7.16 – 7.13 (m, 2H), 5.37 – 5.36 (m, 3H), 4.15 (d, *J* = 12.0 Hz, 1H), 3.80 (d, *J* = 16.0 Hz, 1H), 2.44 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.89, 169.28, 162.66 (d, *J* = 245.4 Hz), 157.91, 141.99, 139.07 (d, *J* = 8.1 Hz), 133.11, 132.69, 131.18 (d, *J* = 9.1 Hz), 130.04, 129.33, 128.48, 128.22, 126.68, 123.86 (d, *J* = 3.0 Hz), 122.64, 116.48, 115.50 (d, *J* = 21.2 Hz), 114.72, 114.54 (d, *J* = 8.1 Hz), 70.23, 61.27, 48.02, 26.05, 24.05. ^{19}F NMR (376 MHz, DMSO-d_6) δ -112.82. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{27}H_{23}ClFNNaO_5S^+$ 550.0862; found: 550.0860.

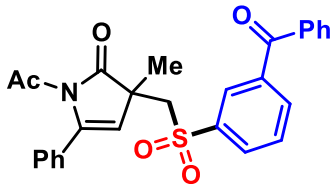


1-acetyl-3-(((4-acetylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3r) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (71 mg, 86%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.09 – 8.07 (m, 2H), 7.94 – 7.92 (m, 2H), 7.34 – 7.32 (m, 3H), 7.11 – 7.08 (m, 2H), 5.31 (s, 1H), 4.22 (d, *J* = 16.0 Hz, 1H), 3.83 (d, *J* = 16.0 Hz, 1H), 2.64 (s, 3H), 2.45 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 197.79, 179.73, 169.26, 143.46, 142.00, 140.93, 133.03, 129.30, 128.55, 128.48, 128.13, 126.68, 116.45, 60.85, 47.88, 27.56, 26.04, 23.95. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{22}H_{21}NNaO_5S^+$ 434.1033; found: 434.1033.

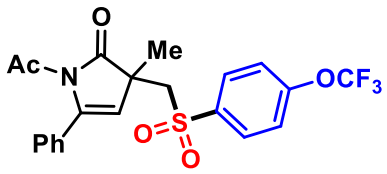


1-acetyl-3-(((4-benzoylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3s) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (85 mg, 90%). ^{1}H

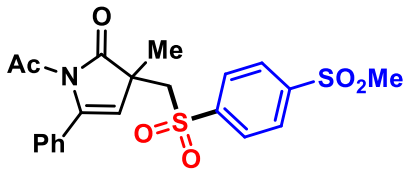
NMR (400 MHz, DMSO-d_6) δ 7.98 – 7.95 (m, 2H), 7.86 – 7.83 (m, 2H), 7.76 – 7.74 (m, 3H), 7.63 – 7.59 (m, 2H), 7.33 – 7.31 (m, 3H), 7.14 – 7.12 (m, 2H), 5.36 (s, 1H), 4.26 (d, *J* = 16.0 Hz, 1H), 3.86 (d, *J* = 16.0 Hz, 1H), 2.47 (s, 3H), 1.33 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.28, 179.84, 169.35, 142.85, 142.07, 142.04, 136.42, 133.99, 133.08, 130.47, 130.30, 129.26, 128.51, 128.39, 128.16, 126.72, 116.53, 60.92, 47.96, 26.12, 24.02. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{27}H_{23}NNaO_5S^+$ 496.1189; found: 496.1188.



1-acetyl-3-(((3-benzoylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3t) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (81 mg, 85%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.97 (d, *J* = 8.1 Hz, 2H), 7.84 (d, *J* = 8.2 Hz, 2H), 7.76 – 7.73 (m, 3H), 7.61 (t, *J* = 7.7 Hz, 2H), 7.34 – 7.31 (m, 3H), 7.13 (dd, *J* = 6.7, 2.9 Hz, 2H), 5.36 (s, 1H), 4.26 (d, *J* = 14.9 Hz, 1H), 3.86 (d, *J* = 14.9 Hz, 1H), 2.47 (s, 3H), 1.33 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.28, 179.83, 169.33, 142.87, 142.06, 142.05, 136.44, 133.99, 133.10, 130.48, 130.31, 129.27, 128.51, 128.39, 128.16, 126.73, 116.54, 60.91, 47.97, 26.12, 24.01. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{27}H_{23}NNaO_5S^+$ 496.1189; found: 496.1185.

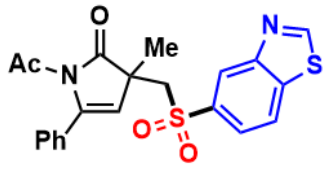


1-acetyl-3-methyl-5-phenyl-3-(((4-(trifluoromethoxy)phenyl)sulfonyl)methyl)-1,3-dihydro-2H-pyrrol-2-one (3u) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (75 mg, 83%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.99 (d, *J* = 8.8 Hz, 2H), 7.63 (d, *J* = 8.4 Hz, 2H), 7.41 – 7.37 (m, 3H), 7.16 – 7.14 (m, 2H), 5.37 (s, 1H), 4.26 (d, *J* = 14.9 Hz, 1H), 3.89 (d, *J* = 14.9 Hz, 1H), 2.50 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.78, 169.29, 152.29 (q, *J* = 2.0 Hz), 141.99, 138.86, 133.07, 130.99, 128.51, 128.16, 126.63, 121.95, 121.57 (q, *J* = 259.6 Hz) 116.48, 60.92, 47.93, 26.04, 23.97. ^{19}F NMR (376 MHz, DMSO-d_6) δ -56.74. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{18}F_3NNaO_5S^+$ 476.0750; found: 476.0749.

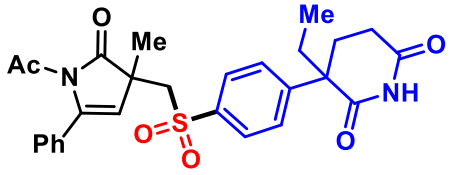


1-acetyl-3-methyl-3-(((4-(methylsulfonyl)phenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3v) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (83 mg, 93%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.13 (d, *J* = 8.5 Hz, 2H), 8.06 (d, *J* = 8.6 Hz, 2H), 7.35 – 7.32 (m, 3H), 7.09 – 7.06 (m, 2H), 5.34 (s, 1H), 4.28 (d, *J* = 14.9 Hz, 1H), 3.89 (d, *J* = 14.9 Hz, 1H), 3.31 (s, 3H), 2.46 (s, 3H), 1.32 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 179.68, 169.27, 145.70, 144.36, 142.09, 132.95, 129.21, 128.51, 128.47, 128.15, 126.65, 116.36, 60.70, 47.85, 43.47, 26.06, 23.87. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{21}NNaO_6S_2^+$ 470.0702; found: 470.0704

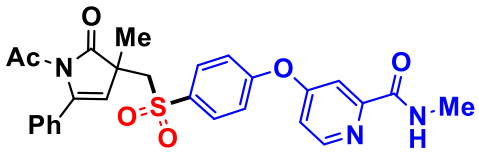
1-acetyl-3-((benzo[d]thiazol-5-ylsulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3w) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (53 mg, 62%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.63 (s, 1H), 8.47 – 8.43 (m, 2H), 7.89 – 7.86 (m, 1H), 7.31 – 7.29 (m, 3H), 7.08 – 7.06 (m, 2H), 5.37 (s, 1H), 4.24 (d, *J* = 16.0 Hz, 1H), 3.89 (d, *J* = 12.0 Hz, 1H), 2.34 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.80, 169.16, 160.23, 152.94,



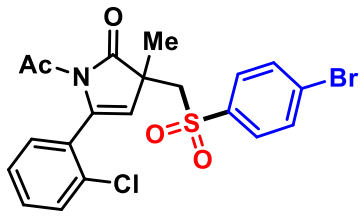
141.89, 140.02, 138.11, 133.10, 128.45, 128.13, 126.70, 124.43, 124.10, 123.26, 116.56, 61.30, 48.00, 25.92, 24.15. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{18}N_2NaO_4S_2^+$ 449.0600; found: 449.0601.



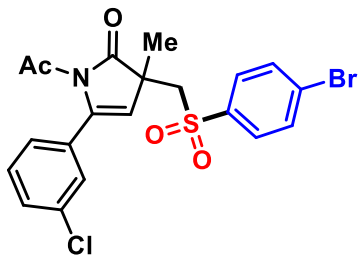
3-(4-(((1-acetyl-3-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-pyrrol-3-yl)methyl)sulfonyl)phenyl)-3-ethylpiperidine-2,6-dione (3x dr = 1:1) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (94 mg, 92%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.01 (s, 1H), 7.80 (d, J = 2.3 Hz, 1H), 7.77 (d, J = 2.3 Hz, 1H), 7.50 (d, J = 2.0 Hz, 1H), 7.48 (d, J = 2.0 Hz, 1H), 7.35 – 7.31 (m, 3H), 7.05 (td, J = 5.9, 2.4 Hz, 2H), 5.18 (s, 1H), 4.14 (d, J = 2.5 Hz, 1H), 3.77 (d, J = 14.9 Hz, 1H), 2.53 (d, J = 3.2 Hz, 1H), 2.46 (s, 3H), 2.42 – 2.35 (m, 1H), 2.23 (td, J = 9.5, 4.7 Hz, 1H), 2.13 – 2.04 (m, 1H), 1.94 – 1.84 (m, 2H), 1.29 (s, 3H), 0.77 (dt, J = 7.5, 3.7 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.92, 175.49, 173.03, 169.33, 146.78, 141.87, 138.77, 133.13, 128.66, 128.46, 128.20, 127.87, 126.54, 116.55, 61.04, 51.11, 47.95, 32.34, 29.45, 26.70, 26.08, 23.96, 9.38. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{27}H_{28}N_2NaO_6S^+$ 531.1560; found: 531.1565.



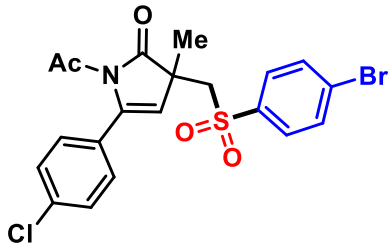
4-(4-(((1-acetyl-3-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-pyrrol-3-yl)methyl)sulfonyl)phenoxy)-N-methylpicolinamide (3y) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (93 mg, 90%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.88 (q, J = 4.8 Hz, 1H), 8.66 (d, J = 5.6 Hz, 1H), 7.93 (d, J = 8.8 Hz, 2H), 7.59 (d, J = 2.6 Hz, 1H), 7.40 (d, J = 8.8 Hz, 2H), 7.36 (dd, J = 5.1, 1.9 Hz, 3H), 7.30 (dd, J = 5.6, 2.6 Hz, 1H), 7.24 – 7.20 (m, 2H), 5.43 (s, 1H), 4.24 (d, J = 14.9 Hz, 1H), 3.86 (d, J = 14.9 Hz, 1H), 2.87 (d, J = 4.8 Hz, 3H), 2.53 (s, 3H), 1.38 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.90, 169.36, 164.48, 164.04, 158.51, 153.33, 151.32, 141.99, 136.57, 133.14, 131.17, 128.45, 128.19, 126.69, 121.20, 116.63, 115.83, 111.03, 61.20, 48.04, 26.53, 26.13, 24.07. HRMS(ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{27}H_{26}N_3O_6S^+$ 520.1537; found:520.1535.



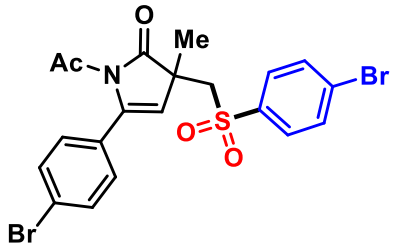
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(2-chlorophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3z) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (86 mg, 89%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (d, J = 8.7 Hz, 2H), 7.82 (d, J = 8.4 Hz, 2H), 7.44 – 7.38 (m, 3H), 7.26 (d, J = 6.6 Hz, 1H), 5.53 (s, 1H), 4.10 (d, J = 14.7 Hz, 1H), 3.84 (d, J = 14.7 Hz, 1H), 2.38 (s, 3H), 1.34 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 178.84, 168.64, 139.24, 139.03, 133.11, 133.00, 132.17, 130.41, 130.17, 129.25, 128.73, 127.44, 117.88, 60.53, 47.75, 25.41, 24.22. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{17}{}^{79}BrClNNaO_4S^+$ 503.9642; found: 503.9642; $C_{20}H_{17}{}^{81}BrClNNaO_4S^+$ 505.9622; found: 505.9622.



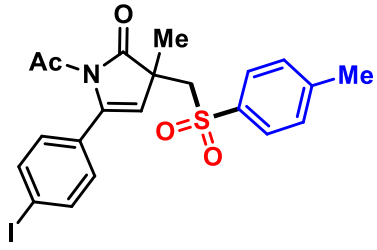
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(3-chlorophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3aa) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (82 mg, 85%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.83 (d, J = 8.5 Hz, 2H), 7.74 (d, J = 8.6 Hz, 2H), 7.42 – 7.37 (m, 2H), 7.12 – 7.08 (m, 2H), 5.46 (s, 1H), 4.18 (d, J = 14.9 Hz, 1H), 3.81 (d, J = 14.9 Hz, 1H), 2.45 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 179.47, 169.22, 140.47, 139.17, 135.24, 132.91, 132.82, 130.12, 129.99, 128.61, 128.32, 126.47, 125.55, 117.76, 60.85, 47.93, 25.92, 23.71. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{17}{}^{79}BrClNNaO_4S^+$ 503.9642; found: 503.9639; $C_{20}H_{17}{}^{81}BrClNNaO_4S^+$ 505.9622; found: 505.9618.



1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-chlorophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3ab) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (80 mg, 83%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.82 (d, J = 8.6 Hz, 2H), 7.72 (d, J = 8.7 Hz, 2H), 7.42 (d, J = 8.5 Hz, 2H), 7.16 (d, J = 8.5 Hz, 2H), 5.45 (s, 1H), 4.15 (d, J = 16.0 Hz, 1H), 3.81 (d, J = 16.0Hz, 1H), 2.43 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.58, 169.28, 140.80, 139.15, 133.07, 132.93, 132.08, 130.17, 128.67, 128.20, 117.25, 60.94, 47.96, 26.00, 23.93. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{17}{}^{79}BrClNNaO_4S^+$ 503.9642; found: 503.9639; $C_{20}H_{17}{}^{81}BrClNNaO_4S^+$ 505.9622; found: 505.9618.

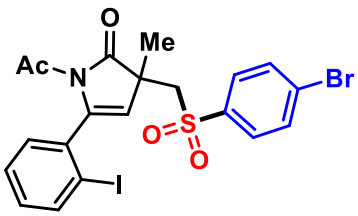


1-acetyl-5-(4-bromophenyl)-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3ac) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (88 mg, 83%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.81 (d, J = 8.6 Hz, 2H), 7.72 (d, J = 8.7 Hz, 2H), 7.54 (d, J = 8.4 Hz, 2H), 7.09 (d, J = 8.5 Hz, 2H), 5.46 (s, 1H), 4.14 (d, J = 14.8 Hz, 1H), 3.81 (d, J = 14.8 Hz, 1H), 2.44 (s, 3H), 1.31 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.57, 169.28, 140.89, 139.13, 132.93, 132.44, 131.11, 130.17, 128.92, 128.68, 121.67, 117.26, 60.96, 47.98, 26.01, 23.92. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{17}{}^{79}Br^{79}BrNNaO_4S^+$ 547.9137; found: 547.9130; $C_{20}H_{17}{}^{79}Br^{81}BrNNaO_4S^+$ 549.9117; found: 549.9122; $C_{20}H_{17}{}^{81}Br^{81}BrNNaO_4S^+$ 551.9096; found: 551.9106.

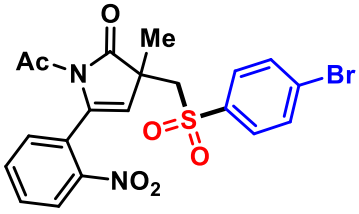


1-acetyl-5-(4-iodophenyl)-3-methyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3ad) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (107mg, 93%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.72 (d, J = 7.9 Hz, 2H), 7.66 (d, J = 8.0 Hz, 2H), 7.39 (d, J = 8.0 Hz, 2H), 6.93 (d, J = 8.0 Hz, 2H), 5.40 (s, 1H), 4.04 (d, J = 16.0 Hz, 1H), 3.72 (d, J = 12.0 Hz, 1H), 2.41 (s, 3H), 2.41 (s, 3H), 1.28 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.69, 169.27, 145.06, 140.91, 137.01,

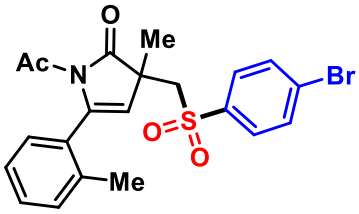
136.92, 132.86, 130.25, 128.91, 128.21, 117.27, 94.60, 61.19, 47.98, 25.99, 23.97, 21.55. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{20}INNaO_4S^+$ 532.0050; found: 532.0048.



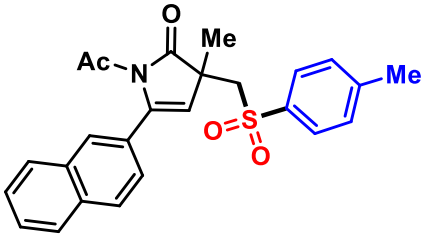
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(2-iodophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3ae) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (92 mg, 80%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (d, J = 8.4 Hz, 2H), 7.85 – 7.80 (m, 3H), 7.45 (t, J = 7.6 Hz, 1H), 7.20 (d, J = 7.6 Hz, 1H), 7.13 (t, J = 7.7 Hz, 1H), 5.45 (s, 1H), 4.11 (d, J = 14.7 Hz, 1H), 3.86 (d, J = 14.7 Hz, 1H), 2.36 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 178.91, 168.23, 142.60, 139.18, 139.07, 138.44, 133.05, 130.31, 130.16, 129.72, 128.77, 128.37, 117.21, 98.68, 60.53, 47.69, 25.53, 23.49. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{17}{}^{79}BrINNaO_4S^+$ 595.8999; found: 595.8999; $C_{20}H_{17}{}^{81}BrN_2NaO_6S^+$ 597.8978; found: 597.8978..



1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-(2-nitrophenyl)-1,3-dihydro-2H-pyrrol-2-one (3af) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (89 mg, 90%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.21 (d, J = 8.2 Hz, 1H), 7.92 – 7.82 (m, 5H), 7.71 (t, J = 8.1 Hz, 1H), 7.44 (d, J = 7.7 Hz, 1H), 5.70 (d, J = 12.8 Hz, 1H), 4.11 (d, J = 14.6 Hz, 1H), 3.88 (d, J = 14.7 Hz, 1H), 2.31 (s, 3H), 1.35 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 178.75, 169.22, 147.15, 139.21, 138.69, 134.73, 133.09, 130.58, 130.13, 129.44, 128.84, 128.38, 124.63, 117.02, 60.59, 47.62, 25.41, 23.38. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{17}{}^{79}BrN_2NaO_6S^+$ 514.9883; found: 514.9883; $C_{20}H_{17}{}^{81}BrN_2NaO_6S^+$ 514.9862; found: 514.9862.

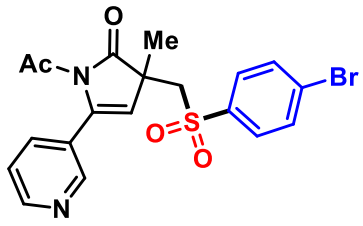


1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-(o-tolyl)-1,3-dihydro-2H-pyrrol-2-one (3ag) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (84 mg, 91%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.88 (d, J = 8.5 Hz, 2H), 7.80 (d, J = 8.4 Hz, 2H), 7.26 (t, J = 7.4 Hz, 1H), 7.18 (t, J = 7.6 Hz, 2H), 7.10 (d, J = 7.5 Hz, 1H), 5.43 (s, 1H), 4.06 (d, J = 14.5 Hz, 1H), 3.80 (d, J = 14.5 Hz, 1H), 2.39 (s, 3H), 2.16 (s, 3H), 1.35 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.57, 168.77, 141.43, 139.46, 136.17, 133.86, 133.05, 129.99, 129.81, 128.67, 128.56, 128.50, 125.67, 116.41, 60.76, 47.52, 25.78, 24.45, 19.85. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{20}{}^{79}BrNNaO_4S^+$ 7484.0189; found: 484.0186; $C_{21}H_{20}{}^{81}BrNNaO_4S^+$ 486.0168; found: 486.0165.

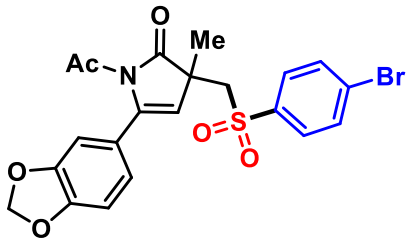


1-acetyl-3-methyl-5-(naphthalen-2-yl)-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3ah) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (80 mg, 92%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.01 – 7.94 (m, 2H), 7.92 (d, J = 8.6 Hz, 1H), 7.77 (d, J = 8.2 Hz, 2H), 7.67 (s, 1H), 7.64 – 7.58 (m, 2H), 7.46 (d, J = 8.0 Hz, 2H), 7.31 (dd, J = 8.5, 1.8 Hz, 1H), 5.51 (s, 1H), 4.16 (d, J = 14.8 Hz, 1H), 3.82 (d, J = 14.8 Hz, 1H), 2.52 (s, 3H), 2.46 (s, 3H), 1.39 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.91, 169.36, 145.00, 141.80, 137.17, 132.94, 132.77, 131.06, 130.27,

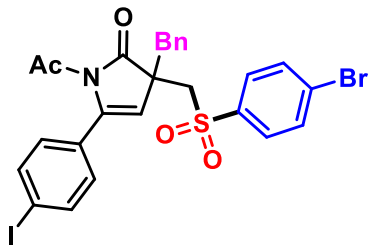
128.35, 128.26, 127.98, 127.29, 126.93, 126.88, 125.32, 125.17, 117.09, 61.23, 48.00, 26.06, 24.10, 21.53. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{25}H_{23}NNaO_4S^+$ 456.1240; found: 456.1237.



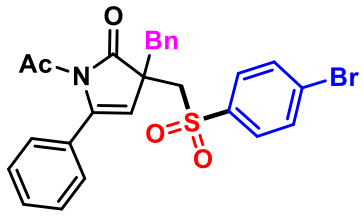
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-(pyridin-3-yl)-1,3-dihydro-2H-pyrrol-2-one (3ai) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (72 mg, 80%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.53 (dd, *J* = 4.9, 1.6 Hz, 1H), 8.35 (d, *J* = 2.3 Hz, 1H), 7.83 (d, *J* = 8.5 Hz, 2H), 7.74 (d, *J* = 8.6 Hz, 2H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.39 (dd, *J* = 7.9, 4.8 Hz, 1H), 5.56 (s, 1H), 4.18 (d, *J* = 14.9 Hz, 1H), 3.83 (d, *J* = 14.9 Hz, 1H), 2.44 (s, 3H), 1.33 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.47, 169.41, 149.35, 147.29, 139.15, 139.12, 134.52, 132.94, 130.17, 129.30, 128.69, 123.15, 118.16, 60.88, 47.99, 25.95, 23.89. HRMS(ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{18}{}^{79}BrN_2O_4S^+$ 449.0165; found: 449.0165; $C_{19}H_{18}{}^{81}BrN_2O_4S^+$ 451.0145; found: 451.0148.



1-acetyl-5-(benzo[d][1,3]dioxol-5-yl)-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one(3aj) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (84 mg, 85%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.82 (d, *J* = 8.5 Hz, 2H), 7.72 (d, *J* = 8.5 Hz, 2H), 6.88 (d, *J* = 8.0 Hz, 1H), 6.66 (s, 1H), 6.61 (d, *J* = 8.0 Hz, 1H), 6.05 (s, 2H), 5.29 (s, 1H), 4.13 (d, *J* = 14.8 Hz, 1H), 3.77 (d, *J* = 14.8 Hz, 1H), 2.43 (s, 3H), 1.28 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.76, 169.31, 147.60, 147.14, 141.61, 139.22, 132.90, 130.18, 128.59, 127.06, 120.55, 115.62, 108.11, 107.55, 101.66, 60.95, 47.79, 26.18, 24.04. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{18}{}^{79}BrNNaO_6S^+$ 513.9930; found: 513.9930; $C_{21}H_{18}{}^{81}BrNNaO_6S^+$ 515.9910; found: 515.9909.

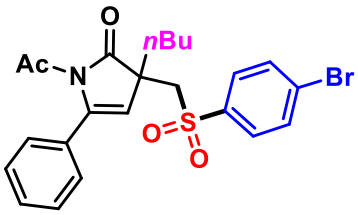


1-acetyl-3-benzyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-iodophenyl)-1,3-dihydro-2H-pyrrol-2-one (3ak) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (118 mg, 91%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.80 (d, *J* = 8.4 Hz, 2H), 7.71 (d, *J* = 8.6 Hz, 2H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.19 (dd, *J* = 4.9, 1.9 Hz, 3H), 7.03 (dd, *J* = 6.7, 2.9 Hz, 2H), 6.64 (d, *J* = 8.3 Hz, 2H), 5.43 (s, 1H), 4.18 (d, *J* = 14.8 Hz, 1H), 3.99 (d, *J* = 14.8 Hz, 1H), 3.04 (d, *J* = 12.7 Hz, 1H), 2.96 (d, *J* = 12.7 Hz, 1H), 2.24 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 178.52, 168.19, 141.55, 139.08, 136.90, 134.05, 132.92, 132.66, 130.45, 130.24, 128.74, 128.68, 128.19, 127.64, 114.96, 94.64, 60.20, 53.33, 43.26, 25.73. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{26}H_{21}{}^{79}BrINNaO_4S^+$ 671.9312; found: 671.9308; $C_{26}H_{21}{}^{81}BrINNaO_4S^+$ 673.9291; found: 673.8867.

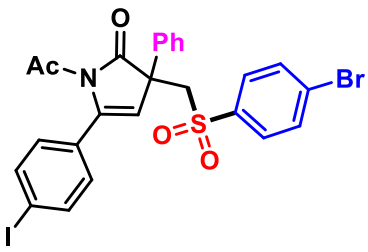


1-acetyl-3-benzyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3al) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (98 mg, 93%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.78 (d, *J* = 8.5 Hz, 2H), 7.72 (d, *J* = 8.6 Hz, 2H),

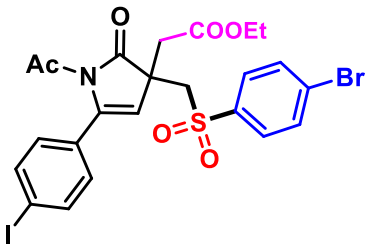
7.28 (dd, *J* = 5.1, 1.9 Hz, 3H), 7.19 (dd, *J* = 5.1, 1.8 Hz, 3H), 7.05 (dd, *J* = 6.8, 2.8 Hz, 2H), 6.84 (dd, *J* = 6.6, 3.0 Hz, 2H), 5.34 (s, 1H), 4.19 (d, *J* = 14.8 Hz, 1H), 3.98 (d, *J* = 14.8 Hz, 1H), 3.05 (d, *J* = 12.7 Hz, 1H), 2.96 (d, *J* = 12.7 Hz, 1H), 2.24 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 178.68, 168.14, 142.48, 139.15, 134.15, 133.10, 132.86, 130.47, 130.26, 128.68, 128.41, 128.17, 128.08, 127.60, 126.61, 114.21, 60.26, 53.25, 43.33, 25.81. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{26}H_{22}{}^{79}BrNNaO_4S^+$ 546.0345; found: 546.0347; $C_{26}H_{22}{}^{81}BrNNaO_4S^+$ 548.0325; found: 548.0313.



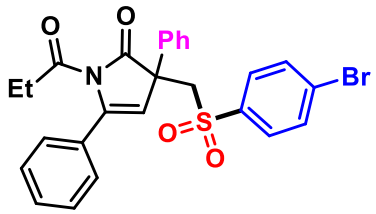
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-butyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3am) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (85 mg, 87%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.78 (d, *J* = 8.5 Hz, 2H), 7.72 (d, *J* = 8.7 Hz, 2H), 7.35 (dd, *J* = 5.1, 1.9 Hz, 3H), 7.13 (dd, *J* = 6.7, 2.9 Hz, 2H), 5.31 (s, 1H), 4.17 (d, *J* = 14.9 Hz, 1H), 3.77 (d, *J* = 14.9 Hz, 1H), 2.46 (s, 3H), 1.74 – 1.61 (m, 2H), 1.16 – 1.14 (m, 3H), 1.05 – 0.97 (m, 1H), 0.79 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 179.46, 169.15, 142.90, 139.34, 133.04, 132.85, 130.18, 128.56, 128.53, 128.22, 126.67, 115.03, 60.72, 51.90, 37.14, 26.09, 25.37, 22.56, 14.10. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{23}H_{24}{}^{79}BrNNaO_4S^+$ 512.0512; found: 512.0498; $C_{23}H_{24}{}^{81}BrNNaO_4S^+$ 514.0481; found: 514.0470.



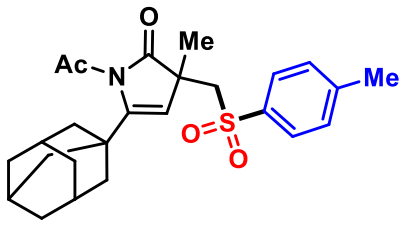
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-iodophenyl)-3-phenyl-1,3-dihydro-2H-pyrrol-2-one (3an) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (93 mg, 73%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.78 – 7.74 (m, 6H), 7.48 (dd, *J* = 7.6, 2.0 Hz, 2H), 7.35 – 7.31 (m, 3H), 7.08 (d, *J* = 8.1 Hz, 2H), 5.93 (s, 1H), 4.53 (d, *J* = 14.7 Hz, 1H), 4.33 (d, *J* = 14.7 Hz, 1H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 177.40, 169.37, 142.47, 139.35, 137.20, 137.02, 132.82, 132.51, 130.18, 129.30, 129.07, 128.74, 128.59, 127.17, 115.61, 95.06, 61.24, 54.61, 26.09. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{25}H_{19}{}^{79}BrINNaO_4S^+$ 657.9155; found: 657.9156; $C_{25}H_{19}{}^{81}BrINNaO_4S^+$ 659.9135; found: 659.9132.



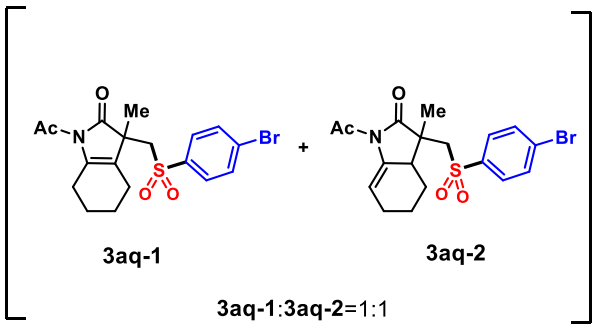
ethyl 2-(1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-iodophenyl)-2-oxo-2,3-dihydro-1H-pyrrol-3-yl)acetate (3ao) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (94 mg, 73%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.80 (d, *J* = 8.3 Hz, 2H), 7.71 (dd, *J* = 8.3, 6.0 Hz, 4H), 6.87 (d, *J* = 8.2 Hz, 2H), 5.35 (s, 1H), 4.19 (d, *J* = 14.8 Hz, 1H), 3.96 (q, *J* = 7.1 Hz, 2H), 3.87 (d, *J* = 14.8 Hz, 1H), 2.94 (d, *J* = 16.1 Hz, 1H), 2.88 (d, *J* = 16.1 Hz, 1H), 2.44 (s, 3H), 1.07 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 178.51, 169.01, 143.38, 139.21, 137.02, 132.96, 132.64, 130.07, 128.69, 128.67, 113.94, 94.86, 61.09, 60.07, 49.49, 40.85, 25.88, 14.34. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{23}H_{21}{}^{79}BrINNaO_6S^+$ 667.9210; found: 667.9209; $C_{23}H_{21}{}^{81}BrINNaO_6S^+$ 669,9189; found: 669.9188.



3-(((4-bromophenyl)sulfonyl)methyl)-3,5-diphenyl-1-propionyl-1,3-dihydro-2H-pyrrol-2-one (3ap) Prepared by general procedure; isolated as a yellow solid using petroleum/ethyl acetate (3:1) as eluent (73 mg, 70%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.74 (s, 4H), 7.49 (d, J = 7.5 Hz, 2H), 7.40 (dd, J = 5.3, 1.8 Hz, 3H), 7.34 (d, J = 7.5 Hz, 3H), 7.25 (dd, J = 6.6, 2.8 Hz, 2H), 5.82 (s, 1H), 4.52 (d, J = 14.7 Hz, 1H), 4.34 (d, J = 14.7 Hz, 1H), 2.87 (dd, J = 14.2, 7.2 Hz, 2H), 1.04 (t, J = 7.3 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 177.35, 173.22, 143.44, 139.38, 137.50, 132.92, 132.75, 130.21, 129.32, 128.77, 128.69, 128.55, 128.33, 127.12, 126.81, 114.91, 61.25, 54.63, 31.35, 8.81. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{26}H_{22}{}^{79}BrNNaO_4S^+$ 546.0345; found: 546.0342; $C_{26}H_{22}{}^{81}BrNNaO_4S^+$ 548.0325; found: 548.0304.

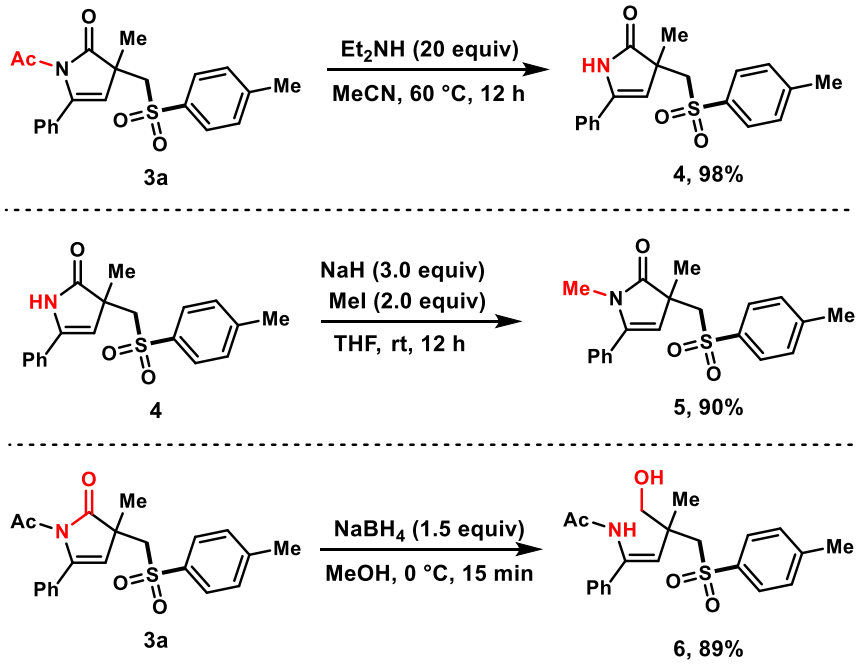


1-acetyl-5-(adamantan-1-yl)-3-methyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3aq) Prepared by general procedure; isolated as a white solid using petroleum/ethyl acetate (10:1) as eluent (47 mg, 53%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.71 (d, J = 8.0 Hz, 2H), 7.46 (d, J = 7.9 Hz, 2H), 5.10 (s, 1H), 3.97 (d, J = 14.7 Hz, 1H), 3.60 (d, J = 14.7 Hz, 1H), 2.41 (s, 6H), 1.96 – 1.86 (m, 6H), 1.73 – 1.60 (m, 9H), 1.16 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 181.48, 172.73, 151.86, 144.85, 137.42, 130.28, 128.03, 112.94, 60.51, 45.88, 36.55, 35.97, 28.26, 27.42, 24.79, 21.49. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{25}H_{31}NNaO_4S^+$ 464.1866; found: 464.1861.



1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3,4,5,6,7-hexahydro-2H-indol-2-one (3ar-1), 1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3,3a,4,5,6-hexahydro-2H-indol-2-one (3ar-2) Prepared by general procedure; isolated as a white solid using petroleum/ethyl acetate (10:1) as eluent (68 mg, 80%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.89 – 7.82 (m, 6H), 7.71 (d, J = 8.4 Hz, 2H), 6.31 (dt, J = 4.8, 2.6 Hz, 1H), 4.14 (d, J = 15.0 Hz, 1H), 3.62 (d, J = 2.6 Hz, 1H), 3.58 (d, J = 2.9 Hz, 1H), 2.62 – 2.52 (m, 3H), 2.34 (s, 3H), 2.31 (s, 3H), 2.13 (q, J = 4.5 Hz, 2H), 1.78 (ddp, J = 27.0, 14.0, 5.0 Hz, 4H), 1.65 – 1.54 (m, 2H), 1.43 (ddq, J = 29.2, 10.2, 6.9, 5.0 Hz, 5H), 1.27 (s, 3H), 1.13 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 180.08, 176.63, 170.65, 169.83, 139.74, 138.92, 136.67, 134.03, 132.85, 132.78, 130.44, 130.41, 128.70, 128.65, 120.15, 111.22, 60.02, 57.97, 48.30, 46.58, 46.54, 26.29, 26.14, 25.02, 23.97, 22.99, 22.65, 21.10, 21.04, 20.39, 20.02. HRMS(ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{18}H_{20}{}^{79}Br$ $NNaO_4S^+$ 448.0189; found: 448.0185; $C_{18}H_{20}{}^{81}Br$ $NNaO_4S^+$ 450.0168; found: 450.0162.

5. Synthetic Application



To a 25 mL round-bottomed flask equipped with a stir bar added **3a** (50 mg, 0.13 mmol), MeCN (10 mL) and Et_2NH (0.268 mL, 2.6 mmol). The mixture was heated to 60 ℃ and stirred for 12 h. After the reaction was completed (monitored by TLC), water (20 mL) was added and the mixture was extracted with ethyl acetate (20 mL × 3). The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford pure products **4** (yellow solid, 43 mg, 98%).

3-methyl-5-phenyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (4) ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.29 (s, 1H), 7.69 (d, J = 8.0 Hz, 2H), 7.53 – 7.51 (m, 2H), 7.48 – 7.38 (m, 5H), 5.44 (s, 1H), 3.84 (d, J = 12.0 Hz, 1H), 3.56 (d, J = 12.0 Hz, 1H), 2.43 (s, 3H), 1.24 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 181.78, 144.67, 140.32, 137.74, 130.10, 130.05, 129.33, 129.09, 128.17, 125.32, 107.50, 61.14, 47.66, 23.98, 21.57. HRMS(ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{20}NO_3S^+$ 342.1158; found: 342.1158.

To a solution of **4** (0.2 mmol) in THF (5.0 mL) at 0 ℃ added NaH (24 mg, 60% in mineral oil, 0.6 mmol, 3.0 equiv) in portions. After stirring at 0 ℃ for 20 minutes, MeI (0.4 mmol, 2.0 equiv) was added dropwise to the reaction mixture, which was allowed to stir at room temperature for additional 12 hours. After the reaction was completed (monitored by TLC), the reaction system was quenched with water and extracted with ethyl acetate. The organic phase was dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by column chromatography flash chromatography on silica gel eluenting with petroleum ether/ethyl acetate as eluent to afford the pure products **5** (white soild, 64 mg, 90%)

1,3-dimethyl-5-phenyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (5) ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.74 (d, J = 8.3 Hz, 2H), 7.54 (d, J = 8.0 Hz, 2H), 7.46 – 7.42 (m, 5H), 5.28 (s, 1H), 3.89 (d, J = 12.0 Hz, 1H), 3.62 (d, J = 16.0 Hz, 1H), 2.85 (s, 3H), 2.44 (s, 3H), 1.26 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 180.15, 144.80, 143.94, 137.46, 131.15, 130.06, 129.56, 129.19,

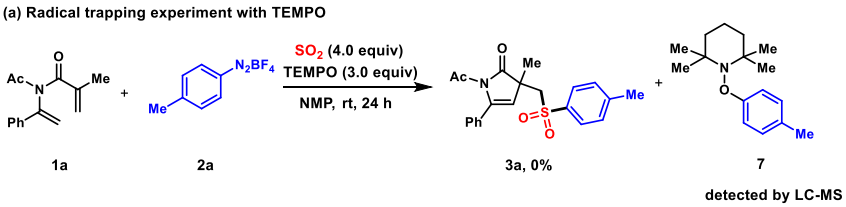
128.15, 128.08, 110.51, 61.07, 46.66, 28.62, 23.84, 21.51. HRMS(ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{20}H_{22}NO_3S^+$ 356.1315; found: 356.1313.

To a 25 mL round-bottomed flask equipped with a stir bar was added **3a** (50 mg, 0.13 mmol), MeOH (10 mL) and $NaBH_4$ (7 mg, 0.20 mmol). The mixture was stirred at 0 ℃ for 15 min. After the reaction was completed (monitored by TLC), water (20 mL) was added and the mixture was extracted with ethyl acetate (20 mL × 3). The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **6** (white solid, 43 mg, 89%).

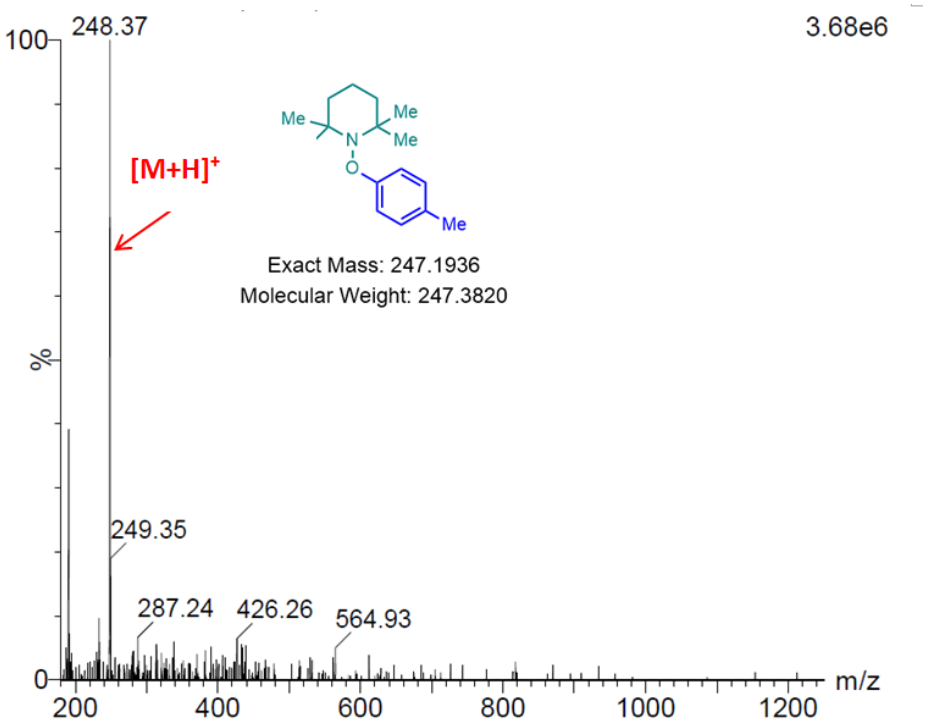
1-(2-hydroxy-3-methyl-5-phenyl-3-(tosylmethyl)-2,3-dihydro-1H-pyrrol-1-yl)ethan-1-one (6)

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.19 (s, 1H), 7.83 (d, J = 8.1 Hz, 2H), 7.43 (d, J = 8.0 Hz, 2H), 7.32 – 7.27 (m, 5H), 5.82 (s, 1H), 5.13 (t, J = 4.0 Hz, 1H), 3.66 (d, J = 12.0 Hz, 1H), 3.56 – 3.54 (m, 2H), 3.50 (d, J = 12.0 Hz, 1H), 2.39 (s, 3H), 2.01 (s, 3H), 1.37 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 169.34, 144.49, 139.87, 138.95, 135.11, 130.20, 129.38, 128.39, 127.95, 127.75, 125.84, 68.42, 62.16, 42.17, 23.30, 21.51, 21.35. HRMS(ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{21}H_{26}NO_4S^+$ 386.1421; found: 386.1418

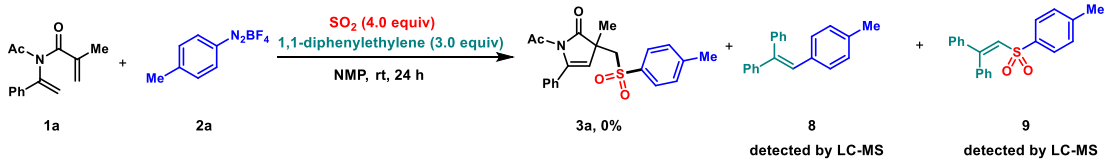
6. Mechanistic Experiments



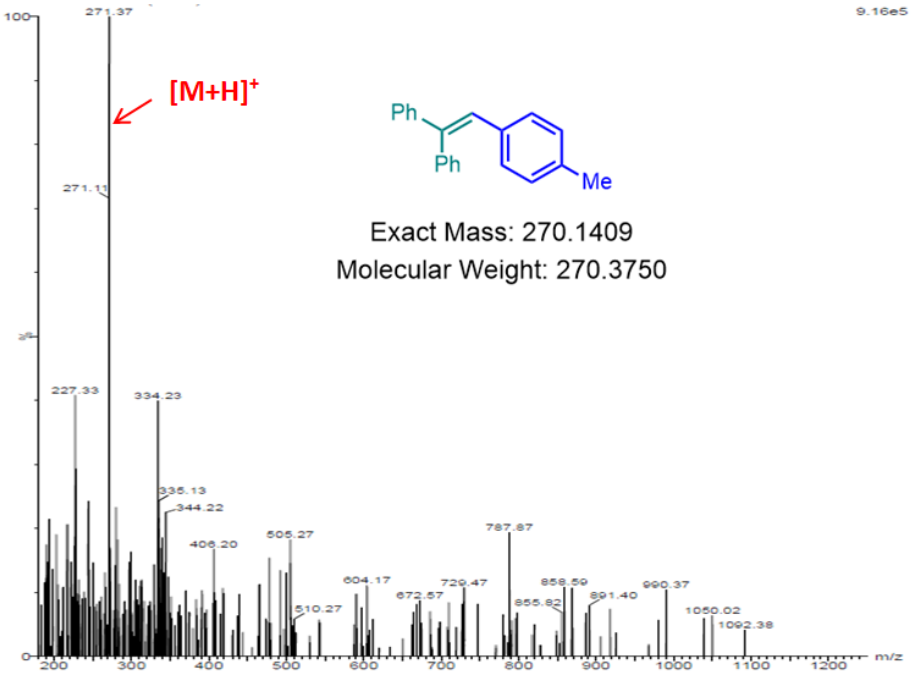
In an argon fulfilled glovebox, perbromothiophene 1,1-dioxide (0.80 mmol), 1-methyl-4-vinylbenzene (0.81 mmol), were added into chamber A with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). 1,5-dienes **1a** (0.2 mmol), diazonium salt **2a** (0.44 mmol) and TEMPO (0.6 mmol) were added into chamber B with a magnetic stirring bar. Then solvent (NMP, 1.0 mL) was added rapidly by syringe. The two-chamber was sealed and removed out of the glovebox. The chamber A was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. After, the chamber B was allowed to stir at room temperature using heating mantle with 600-800 rpm stirring speed for 24 h. TLC and LC-MS analysis demonstrated the product **3a** is not found. The aryl radical combined with TEMPO (**7**) were detected by LC-MS.

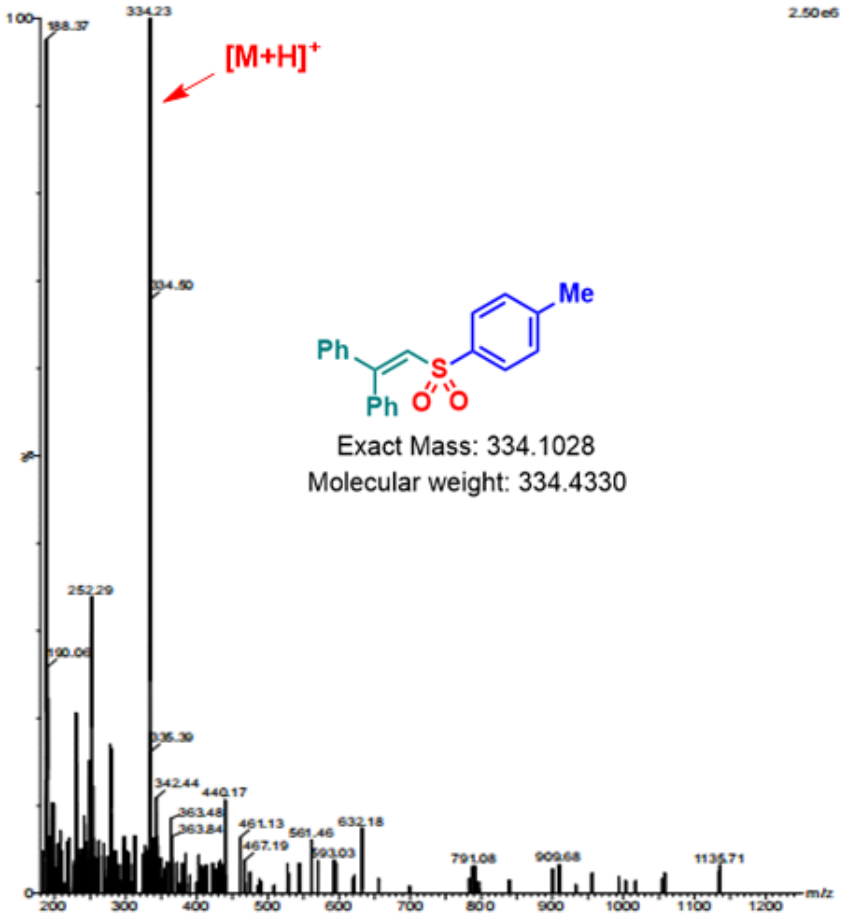


(b) Radical trapping experiment with 1,1-diphenylethylene

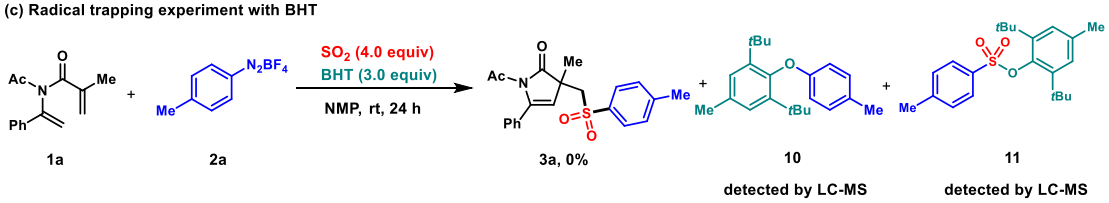


In an argon fulfilled glovebox, perbromothiophene 1,1-dioxide (0.80 mmol), 1-methyl-4-vinylbenzene (0.81 mmol), were added into chamber A with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). 1,5-dienes **1a** (0.2 mmol), diazonium salt **2a** (0.44 mmol) and 1,1-diphenylethylene (0.6 mmol) were added into chamber B with a magnetic stirring bar. Then solvent (NMP, 1.0 mL) was added rapidly by syringe. The two-chamber was sealed and removed out of the glovebox. The chamber A was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. After, the chamber B was allowed to stir at room temperature using heating mantle with 600-800 rpm stirring speed for 24 h. TLC and LC-MS analysis demonstrated the product **3a** is not found. The aryl radical combined with 1,1-diphenylethylene (**8**) were detected by LC-MS. The sulfonyl radical combined with 1,1-diphenylethylene **(9)** were detected by LC-MS.

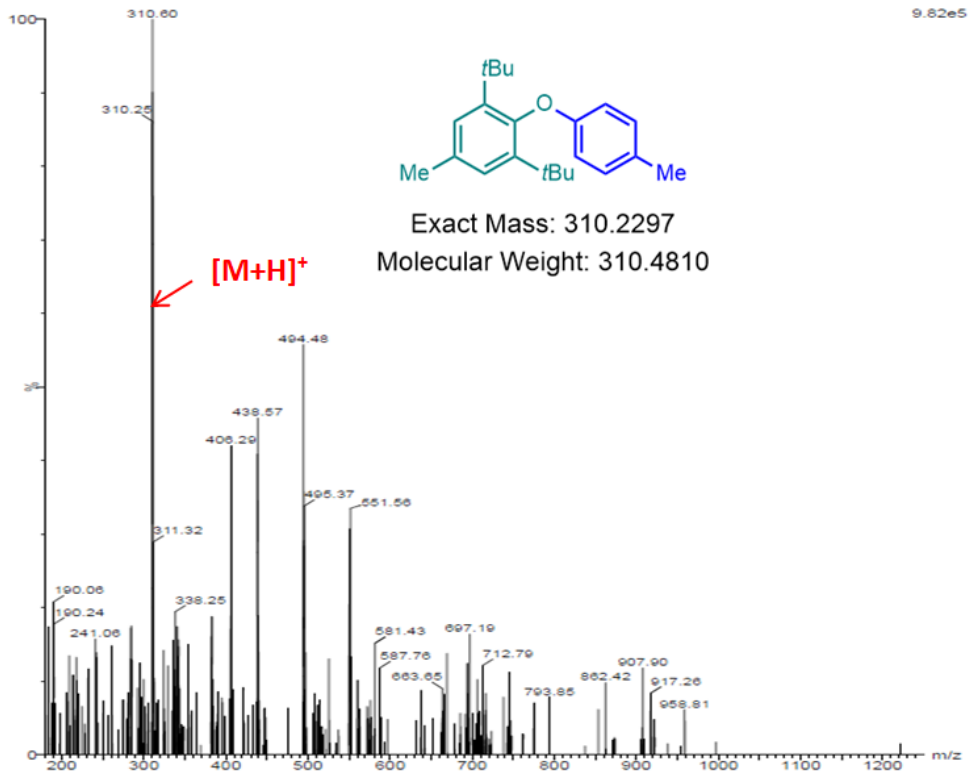

[M+H]+
Ph
Ph
Me
Exact Mass: 270.1409
Molecular Weight: 270.3750

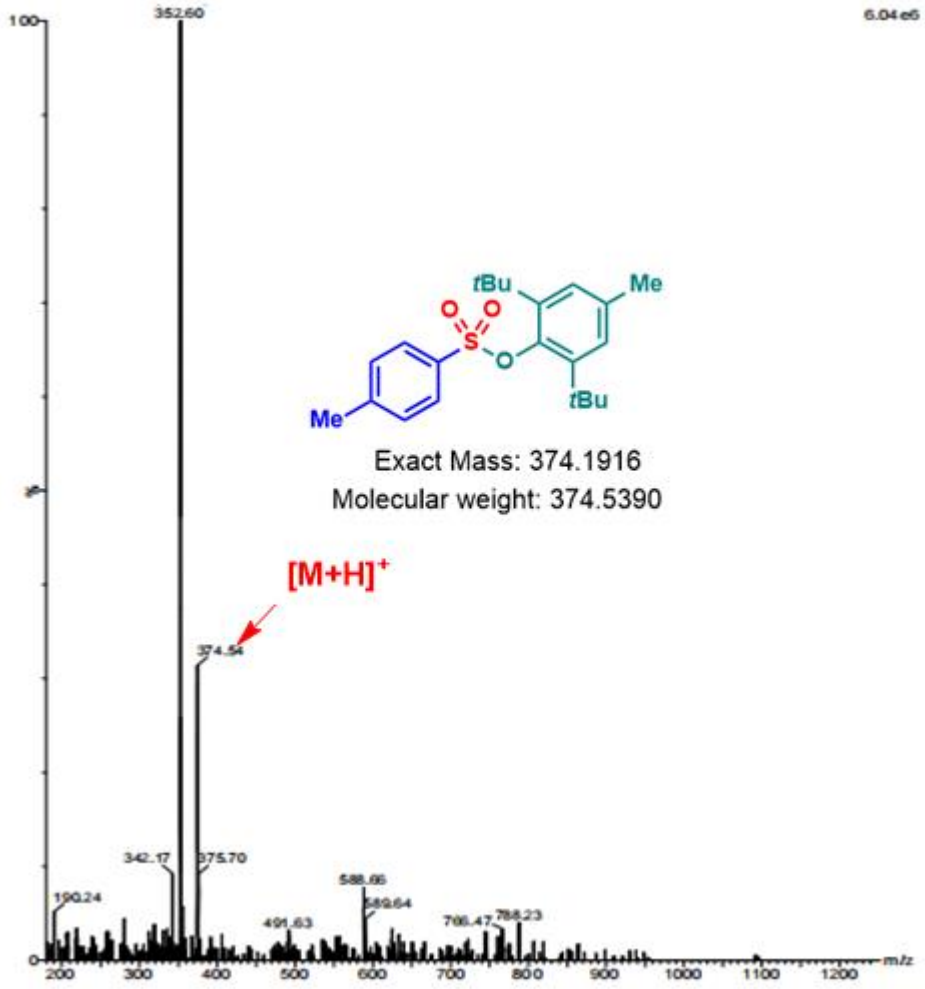

[M+H]+
Me
Ph
Ph
S
O
O
Exact Mass: 334.1028
Molecular weight: 334.4330

(c) Radical trapping experiment with BHT



In an argon fulfilled glovebox, perbromothiophene 1,1-dioxide (0.80 mmol), 1-methyl-4-vinylbenzene (0.81 mmol), were added into chamber A with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). 1,5-dienes **1a** (0.2 mmol), diazonium salt **2a** (0.44 mmol) and BHT (0.6 mmol) were added into chamber B with a magnetic stirring bar. Then solvent (NMP, 1.0 mL) was added rapidly by syringe. The two-chamber was sealed and removed out of the glovebox. The chamber A was allowed to stir at 100 °C using heating mantle with 600-800 rpm stirring speed for 10 min. After, the chamber B was allowed to stir at room temperature using heating mantle with 600-800 rpm stirring speed for 24 h. TLC and LC-MS analysis demonstrated the product **3a** is not found. The aryl radical combined with BHT (**10**) were detected by LC-MS. The sulfonyl radical combined with BHT **(11)** were detected by LC-MS.





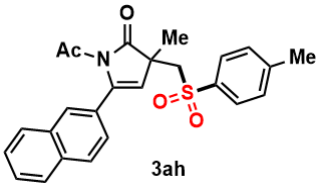
7. References

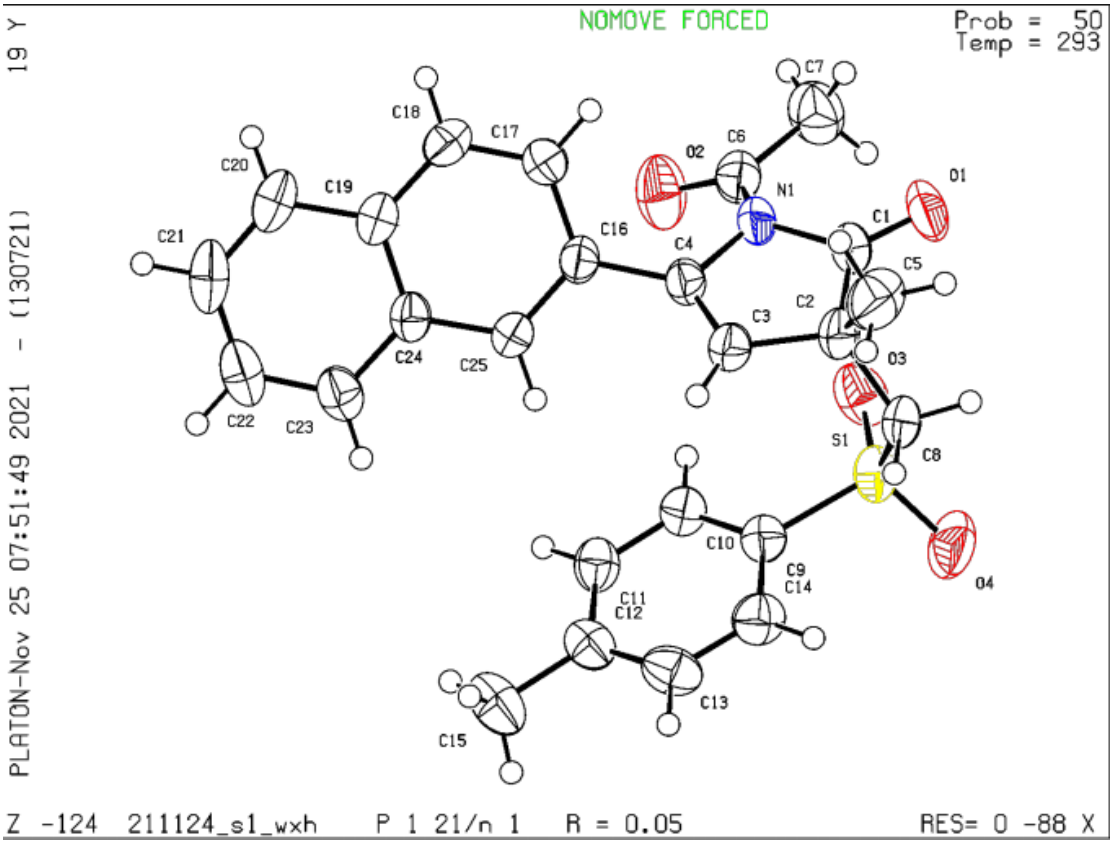
[1] (a) E. Rancan, F. Aricò, G. Quartarone, L. Ronchin, P. Tundo and A. Vavasori, Self-Catalyzed Direct Amidation of Ketones: A Sustainable Procedure for Acetaminophen Synthesis. *Catal. Commun.*, 2014, **54**, 11-16; (b) M. J. Burk, G. Casy and N. B. Johnson, A Three-Step Procedure for Asymmetric Catalytic Reductive Amidation of Ketones. *J. Org. Chem.*, 1998, **63** (18), 6084-6085; (c) R. X. Liang, R. Y. Chen, C. Zhong, J. W. Zhu, and Y. X. Jia, 3,3′-Disubstituted Oxindoles Formation via Copper-Catalyzed Arylboration and Arylsilylation of Alkenes. *Org. Lett.*, 2020, **22** (8), 3215-3218.

[2] (a) C. Lian, G. Yue, J. Mao, D. Liu; Y. Ding, Z. Liu, D. Qiu, X. Zhao, K. Lu, M. Fagnoni and S. Protti, Visible-Light-Driven Synthesis of Arylstannanes from Arylazo Sulfones. *Org. Lett.*, 2019, **21** (13), 5187-5191; (b) J. Wu, Y. Gu, X. Leng, Q. Shen, Copper-Promoted Sandmeyer Difluoromethylthiolation of Aryl and Heteroaryl Diazonium Salts. *Angew. Chem. Int. Ed.*, 2015, **54**, 7648-7652; (c) B. Xing, C. Ni and J. Hu, Hypervalent Lodine(III)-Catalyzed Balz-Schiemann Fluorination. *Angew. Chem. Int. Ed.*, 2018, **57**, 9896-9900; (d) F. A. Siqueira, J. G. Taylor and C. R. D. Correia, The First Intramolecular Heck-Matsuda Reaction and Its Application in the Syntheses of Benzofurans and Indoles. *Tetrahedron Lett.*, 2010, **51**, 2102-2105.

8. Single Crystal X-Ray Diffraction Data (3ah)

X-ray crystal data for **3ah** with 50% probability for the ellipsoid contour (CCDC 2131001)





A crystal of **3ah** was obtained by recrystallization from DCM/EA (2:1). **3ah** (10 mg) was added into 4 mL vial, followed by addition of EA (1 mL). DCM (2 mL) was added dropwise. After setting a day, a crystal of **3ah** was observed.

A suitable crystal was selected and analyzed on a **Xcalibur, Eos** diffractometer. The crystal was kept at 293.15 K during data collection. Using Olex2[1], the structure was solved with the Superflip[2] structure solution program using Charge Flipping and refined with the ShelXL[3] refinement package using Least Squares minimisation.

[1] Dolomanov, O.V., Bourhis, L.J., Gildea, R.J, Howard, J.A.K. & Puschmann, H. (2009), J. Appl. Cryst. 42, 339-341.

[2] Sheldrick, G.M. (2008). Acta Cryst. A64, 112-122.

[3] Sheldrick, G.M. (2015). Acta Cryst. C71, 3-8.

Datablock: CCDC 2131001

Bond precision: C-C = 0.0032 A Wavelength=0.71073

Cell: a=10.6128(3) b=9.0112(3) c=22.7652(7)

alpha=90 beta=95.487(3) gamma=90

Temperature: 293 K

	Calculated	Reported
Volume	2167.15(12)	2167.16(13)
Space group	P 21/n	P 1 21/n 1
Hall group	-P 2yn	-P 2yn
Moiety formula	C25 H23 N O4 S	C25 H23 N O4 S
Sum formula	C25 H23 N O4 S	C25 H23 N O4 S
Mr	433.50	433.50
Dx, g cm-3	1.329	1.329
Z	4	4
Mu (mm-1)	0.182	0.182
F000	912.0	912.0
F000'	912.91	
h,k,lmax	13,11,28	13,11,28
Nref	4434	4428
Tmin,Tmax	0.938,0.956	0.995,1.000
Tmin'	0.938	

Correction method= # Reported T Limits: Tmin=0.995 Tmax=1.000

AbsCorr = MULTI-SCAN

Data completeness= 0.999 Theta(max)= 26.371

R(reflections)= 0.0488(3110) wR2(reflections)= 0.1277(4428)

S = 1.036 Npar= 283

Table 1 Crystal data and structure refinement for 211124_s1_wxh.

Identification code	CCDC 2131001
Empirical formula	$C_{25}H_{23}NO_4S$
Formula weight	433.50
Temperature/K	293.15
Crystal system	monoclinic
Space group	$P2_1/n$
a/Å	10.6128(3)
b/Å	9.0112(3)
c/Å	22.7652(7)
α/°	90
β/°	95.487(3)
γ/°	90
Volume/Å^3	2167.16(13)
Z	4
ρ_{calc}g/cm^3	1.329
μ/mm^{-1}	0.182
F(000)	912.0
Crystal size/mm^3	0.35 × 0.3 × 0.25
Radiation	MoKα (λ = 0.71073)

2Θ range for data collection/°	5.942 to 52.742
Index ranges	$-10 \leq h \leq 13$, $-10 \leq k \leq 11$, $-27 \leq l \leq 28$
Reflections collected	10013
Independent reflections	4428 [R_{int} = 0.0214, R_{sigma} = 0.0401]
Data/restraints/parameters	4428/0/283
Goodness-of-fit on F^2	1.036
Final R indexes [I>=2σ (I)]	R_1 = 0.0488, wR_2 = 0.1115
Final R indexes [all data]	R_1 = 0.0766, wR_2 = 0.1277
Largest diff. peak/hole / e $Å^{-3}$	0.22/-0.35

Table 2 Fractional Atomic Coordinates ($\times 10^4$) and Equivalent Isotropic Displacement Parameters ($Å^2 \times 10^3$) for CCDC 2131001. U_{eq} is defined as 1/3 of of the trace of the orthogonalised U_{IJ} tensor.

Atom	x	y	z	U(eq)
S1	2264.5(5)	2701.7(7)	1626.9(2)	48.04(18)
O1	5005.6(18)	2439(2)	2763.2(7)	82.0(6)
O2	6140.3(17)	-289(2)	1461.6(8)	72.2(5)
O3	2913.4(16)	1350.5(18)	1804.3(7)	62.2(5)
O4	991.4(15)	2917(2)	1773.4(8)	71.2(5)
N1	5836.0(15)	1951(2)	1872.0(7)	43.2(4)
C1	5136(2)	2759(3)	2262.7(9)	52.2(6
C2	4599.2(19)	4139(3)	1935.3(9)	45.7(5)
C3	5079.7(18)	3951(3)	1343.6(9)	43.4(5)
C4	5799.0(18)	2760(2)	1325.8(9)	39.4(5)
C5	5174(2)	5528(3)	2252.5(12)	71.7(8)
C6	6031.2(19)	400(3)	1905.2(10)	48.0(5)
C7	6094(2)	-300(3)	2498.8(11)	68.9(7)
C8	3157.2(18)	4211(2)	1952.4(9)	45.6(5)
C9	2266.3(17)	2903(2)	856.2(9)	39.1(5)
C10	2798.0(18)	1816(2)	532.8(9)	41.7(5)
C11	2747.1(19)	1956(2)	-74.9(9)	45.5(5)
C12	2196.4(19)	3185(3)	-359.7(9)	46.1(5)
C13	1670(2)	4258(3)	-23.6(10)	54.1(6)
C14	1693(2)	4130(2)	579.8(10)	50.3(6)
C15	2129(3)	3345(3)	-1019.6(10)	69.8(7)
C16	6627.2(17)	2359(2)	864.6(9)	38.5(5)
C17	7917.8(18)	2015(2)	1015.9(9)	44.7(5)
C18	8701.1(18)	1763(2)	589.4(10)	45.1(5)
C19	8255.4(18)	1823(2)	-13.4(9)	39.1(5)
C20	9055(2)	1571(3)	-469.6(11)	51.8(6)
C21	8587(3)	1626(3)	-1045.7(11)	61.1(7)
C22	7308(3)	1923(3)	-1199.6(10)	58.2(6)
C23	6509(2)	2184(2)	-777.0(9)	48.6(5)
C24	6964.0(18)	2147(2)	-170.9(9)	38.6(5)

C25	6176.2(18)	2425(2)	281.1(9)	40.2(5)

Table 3 Anisotropic Displacement Parameters ($Å^2 \times 10^3$) for CCDC 2131001. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^{*2}U_{11}+2hka^*b^*U_{12}+\ldots]$.

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
S1	54.0(3)	49.4(4)	42.9(3)	-0.6(3)	15.9(2)	-4.8(3)
O1	104.9(14)	114.2(16)	28.6(9)	1.0(10)	15.0(8)	45.4(12)
O2	107.8(14)	52.5(11)	60.2(11)	-12.2(9)	28.6(10)	3.3(10)
O3	90.9(12)	46.8(10)	49.3(10)	7.8(8)	9.1(8)	0.9(9)
O4	55.4(9)	93.0(14)	70.0(12)	-6.8(10)	31.4(8)	-12.2(9)
N1	49.0(10)	51.1(12)	30.4(9)	-4.1(8)	8.7(7)	10.0(8)
C1	54.4(13)	69.5(16)	33.0(12)	-12.4(12)	6.9(9)	10.8(12)
C2	49.1(12)	49.4(13)	39.7(12)	-12.6(10)	9.8(9)	6.9(10)
C3	42.7(11)	48.3(13)	40.0(12)	-5.4(10)	7.6(9)	3.2(10)
C4	39.3(10)	47.6(13)	31.9(11)	-5.6(10)	5.9(8)	-1.5(10)
C5	58.6(15)	78.7(19)	77.2(19)	-39.3(16)	3.6(12)	0.2(14)
C6	47.8(12)	52.9(14)	44.5(13)	-2.1(12)	11.0(10)	-0.7(11)
C7	83.0(17)	66.8(18)	58.4(17)	12.2(14)	14.0(13)	0.6(14)
C8	49.5(12)	50.3(14)	38.7(12)	-9.8(10)	13.3(9)	7.0(10)
C9	39.0(10)	37.2(12)	41.3(12)	-1.4(9)	5.4(8)	-6.0(9)
C10	46.1(11)	34.8(11)	44.9(12)	1.5(10)	8.5(9)	0.2(9)
C11	49.3(12)	42.8(13)	45.5(13)	-7.1(10)	10.6(9)	-5.9(10)
C12	48.2(12)	47.1(13)	42.3(13)	1.6(11)	-0.1(9)	-14.1(10)
C13	64.5(14)	39.5(13)	55.4(15)	5.2(11)	-8.6(11)	1.8(11)
C14	54.8(13)	39.5(13)	56.0(15)	-7.8(11)	2.4(10)	4.4(10)
C15	87.1(18)	76.4(19)	45.0(15)	7.5(14)	2.5(12)	-11.6(15)
C16	37.7(10)	43.0(12)	36.1(11)	-4.9(9)	9.7(8)	0.2(9)
C17	43.7(11)	52.2(14)	37.5(12)	-5.5(10)	1.5(9)	2.7(10)
C18	34.0(10)	46.6(13)	55.1(14)	-5.2(11)	7.1(9)	1.6(9)
C19	41.0(11)	32.9(11)	45.6(12)	-4.1(9)	15.3(9)	-3.0(9)
C20	50.5(12)	44.0(13)	65.3(16)	-6.6(12)	28.9(11)	-4.8(10)
C21	86.0(18)	48.2(15)	55.9(16)	-5.9(12)	41.9(13)	-6.7(13)
C22	92.7(19)	46.6(14)	37.9(13)	0.0(11)	19.9(12)	-0.5(13)
C23	65.5(14)	42.4(13)	38.5(12)	-0.7(10)	7.9(10)	4.1(11)
C24	45.6(11)	34.4(11)	37.3(11)	-2.4(9)	10.9(9)	0.1(9)
C25	35.4(10)	46.7(12)	39.2(12)	-2.5(10)	7.0(8)	4.0(9)

Table 4 Bond Lengths for CCDC 2131001.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
S1	O3	1.4375(17)	C9	C14	1.384(3)
S1	O4	1.4354(16)	C10	C11	1.385(3)
S1	C8	1.778(2)	C11	C12	1.384(3)
S1	C9	1.764(2)	C12	C13	1.384(3)
O1	C1	1.196(3)	C12	C15	1.504(3)
O2	C6	1.201(2)	C13	C14	1.376(3)
N1	C1	1.415(3)	C16	C17	1.415(3)

N1	C4	1.439(3)	C16	C25	1.370(3)
N1	C6	1.413(3)	C17	C18	1.356(3)
C1	C2	1.531(3)	C18	C19	1.409(3)
C2	C3	1.495(3)	C19	C20	1.421(3)
C2	C5	1.541(3)	C19	C24	1.413(3)
C2	C8	1.536(3)	C20	C21	1.358(3)
C3	C4	1.320(3)	C21	C22	1.395(3)
C4	C16	1.477(3)	C22	C23	1.362(3)
C6	C7	1.487(3)	C23	C24	1.418(3)
C9	C10	1.378(3)	C24	C25	1.409(3)

Table 5 Bond Angles for CCDC 2131001.

Atom	Atom	Atom	Angle/˚	Atom	Atom	Atom	Angle/˚
O3	S1	C8	107.99(10)	C10	C9	S1	119.83(16)
O3	S1	C9	108.69(10)	C10	C9	C14	120.6(2)
O4	S1	O3	119.04(11)	C14	C9	S1	119.48(16)
O4	S1	C8	106.01(10)	C9	C10	C11	119.3(2)
O4	S1	C9	107.92(10)	C12	C11	C10	121.0(2)
C9	S1	C8	106.53(10)	C11	C12	C15	121.5(2)
C1	N1	C4	108.04(17)	C13	C12	C11	118.3(2)
C6	N1	C1	123.98(19)	C13	C12	C15	120.2(2)
C6	N1	C4	122.61(17)	C14	C13	C12	121.6(2)
O1	C1	N1	126.1(2)	C13	C14	C9	119.1(2)
O1	C1	C2	126.0(2)	C17	C16	C4	120.58(18)
N1	C1	C2	107.80(18)	C25	C16	C4	120.15(17)
C1	C2	C5	108.62(18)	C25	C16	C17	119.09(18)
C1	C2	C8	110.30(18)	C18	C17	C16	120.53(19)
C3	C2	C1	101.73(17)	C17	C18	C19	121.26(18)
C3	C2	C5	111.13(19)	C18	C19	C20	122.51(19)
C3	C2	C8	117.00(17)	C18	C19	C24	118.82(17)
C8	C2	C5	107.74(17)	C24	C19	C20	118.7(2)
C4	C3	C2	111.6(2)	C21	C20	C19	120.8(2)
N1	C4	C16	121.44(18)	C20	C21	C22	120.4(2)
C3	C4	N1	110.71(18)	C23	C22	C21	120.8(2)
C3	C4	C16	127.3(2)	C22	C23	C24	120.4(2)
O2	C6	N1	119.5(2)	C19	C24	C23	118.93(18)
O2	C6	C7	123.0(2)	C25	C24	C19	118.70(18)
N1	C6	C7	117.5(2)	C25	C24	C23	122.37(19)
C2	C8	S1	116.73(14)	C16	C25	C24	121.59(18)

Table 6 Torsion Angles for CCDC 2131001.

A	B	C	D	Angle/˚	A	B	C	D	Angle/˚
S1	C9	C10	C11	177.33(14)	C6	N1	C1	O1	28.3(4)
S1	C9	C14	C13	-178.30(16)	C6	N1	C1	C2	-153.03(19)
O1	C1	C2	C3	179.2(2)	C6	N1	C4	C3	151.71(19)
O1	C1	C2	C5	61.9(3)	C6	N1	C4	C16	-36.4(3)

O1	C1	C2	C8	-56.0(3)	C8	S1	C9	C10	118.41(16)
O3	S1	C8	C2	43.20(19)	C8	S1	C9	C14	-63.83(18)
O3	S1	C9	C10	2.26(19)	C8	C2	C3	C4	-122.8(2)
O3	S1	C9	C14	-179.98(16)	C9	S1	C8	C2	-73.41(18)
O4	S1	C8	C2	171.82(16)	C9	C10	C11	C12	1.4(3)
O4	S1	C9	C10	-128.12(17)	C10	C9	C14	C13	-0.6(3)
O4	S1	C9	C14	49.64(19)	C10	C11	C12	C13	-1.5(3)
N1	C1	C2	C3	0.5(2)	C10	C11	C12	C15	-179.62(19)
N1	C1	C2	C5	-116.8(2)	C11	C12	C13	C14	0.5(3)
N1	C1	C2	C8	125.31(18)	C12	C13	C14	C9	0.5(3)
N1	C4	C16	C17	-43.5(3)	C14	C9	C10	C11	-0.4(3)
N1	C4	C16	C25	141.4(2)	C15	C12	C13	C14	178.7(2)
C1	N1	C4	C3	-3.3(2)	C16	C17	C18	C19	-0.5(3)
C1	N1	C4	C16	168.66(18)	C17	C16	C25	C24	0.5(3)
C1	N1	C6	O2	149.1(2)	C17	C18	C19	C20	179.7(2)
C1	N1	C6	C7	-31.1(3)	C17	C18	C19	C24	-0.3(3)
C1	C2	C3	C4	-2.5(2)	C18	C19	C20	C21	179.4(2)
C1	C2	C8	S1	-60.2(2)	C18	C19	C24	C23	-179.0(2)
C2	C3	C4	N1	3.7(2)	C18	C19	C24	C25	1.2(3)
C2	C3	C4	C16	-167.67(19)	C19	C20	C21	C22	-0.4(4)
C3	C2	C8	S1	55.3(2)	C19	C24	C25	C16	-1.3(3)
C3	C4	C16	C17	127.0(2)	C20	C19	C24	C23	1.0(3)
C3	C4	C16	C25	-48.1(3)	C20	C19	C24	C25	-178.79(19)
C4	N1	C1	O1	-177.2(2)	C20	C21	C22	C23	1.0(4)
C4	N1	C1	C2	1.5(2)	C21	C22	C23	C24	-0.5(3)
C4	N1	C6	O2	-1.9(3)	C22	C23	C24	C19	-0.5(3)
C4	N1	C6	C7	177.93(18)	C22	C23	C24	C25	179.3(2)
C4	C16	C17	C18	-174.7(2)	C23	C24	C25	C16	178.9(2)
C4	C16	C25	C24	175.71(19)	C24	C19	C20	C21	-0.6(3)
C5	C2	C3	C4	112.9(2)	C25	C16	C17	C18	0.4(3)
C5	C2	C8	S1	-178.65(17)					

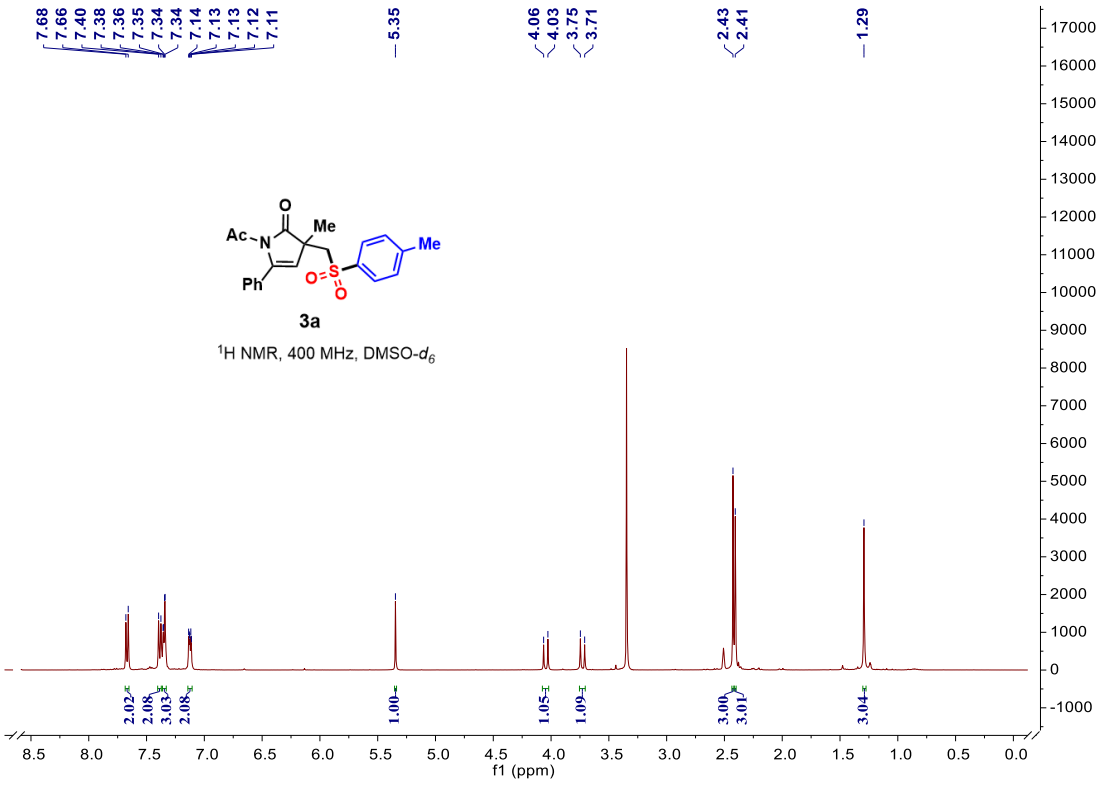
Table 7 Hydrogen Atom Coordinates (Å×104) and Isotropic Displacement Parameters (Å2×103) for CCDC 2131001.

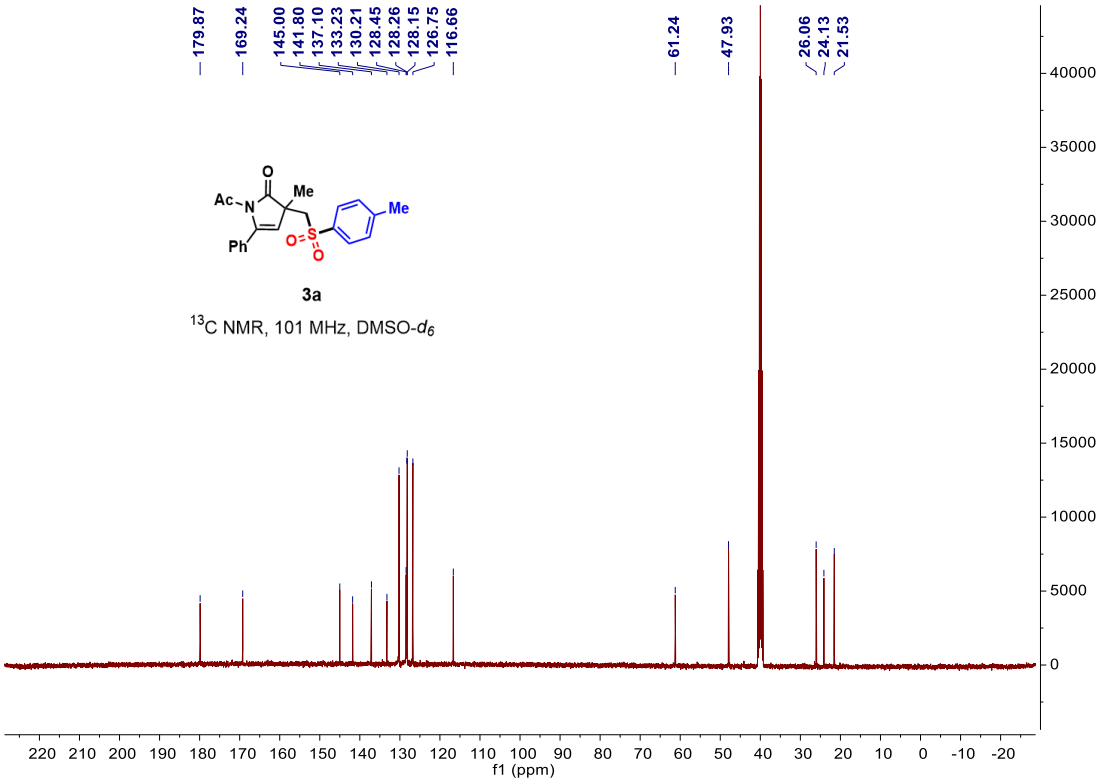
Atom	x	y	z	U(eq)
H3	4894.76	4592.9	1027.25	52
H5A	4929.94	5560.46	2647.63	107
H5B	4869.98	6401.27	2042.74	107
H5C	6080.02	5488.01	2264.67	107
H7A	6571.65	323.84	2780.4	103
H7B	6499.25	-1250.75	2486.3	103
H7C	5253.14	-425.55	2612.76	103
H8A	2854.27	5115.67	1755.84	55
H8B	2981.44	4287.42	2361.62	55
H10	3187.02	996.54	720.71	50

H11	3088.19	1213.5	-294.96	55
H13	1291.29	5085.84	-209.85	65
H14	1328.35	4858.05	798.66	60
H15A	2439.74	2455.71	-1187.85	105
H15B	2637.07	4173.05	-1118.02	105
H15C	1266.15	3507.23	-1174.06	105
H17	8231.88	1961.33	1410.94	54
H18	9548.56	1545.61	696.82	54
H20	9907.89	1365.96	-372.65	62
H21	9123.14	1465.15	-1339.55	73
H22	6996.3	1942.11	-1595.55	70
H23	5659.59	2388.69	-886.66	58
H25	5329.51	2659.67	180.7	48

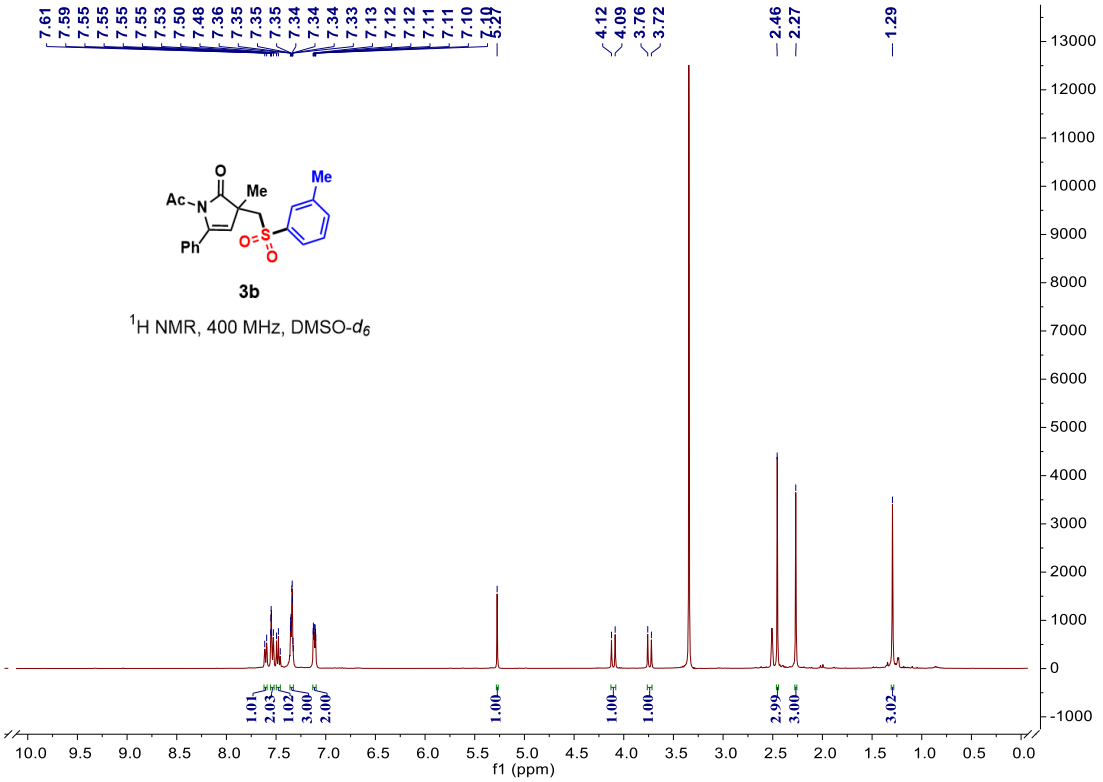
9. ^{1}H, ^{13}C and ^{19}F NMR Spectra of All Compounds

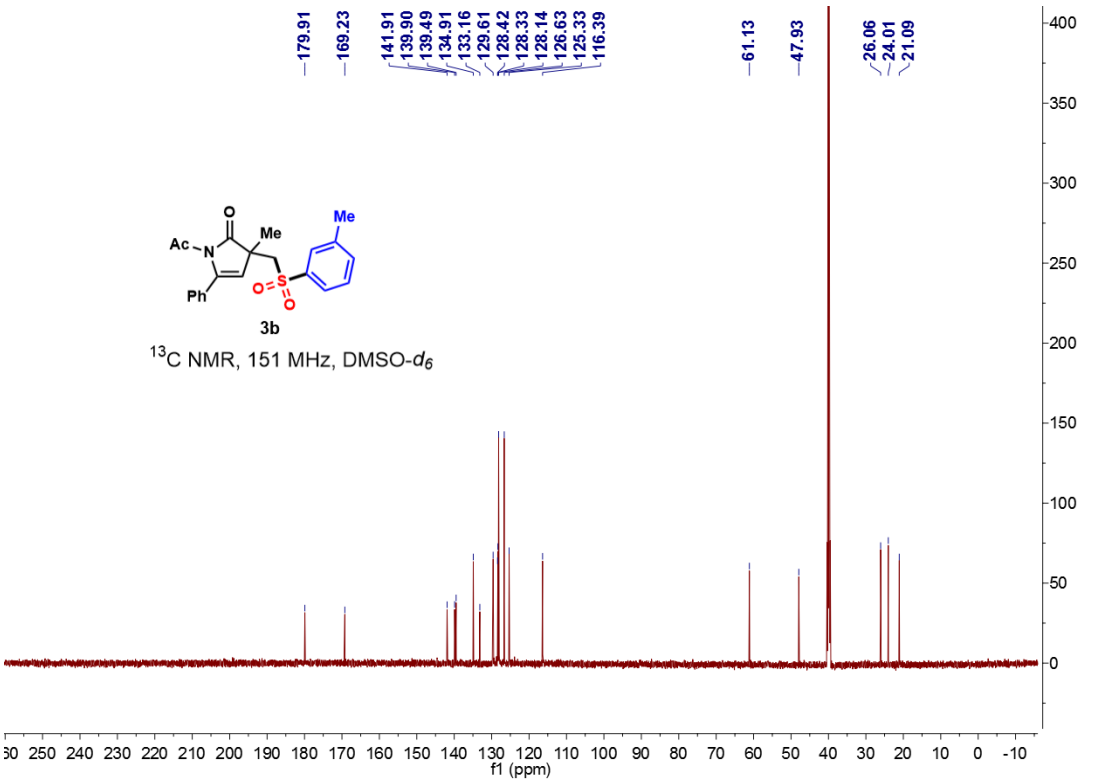
1-acetyl-3-methyl-5-phenyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3a)



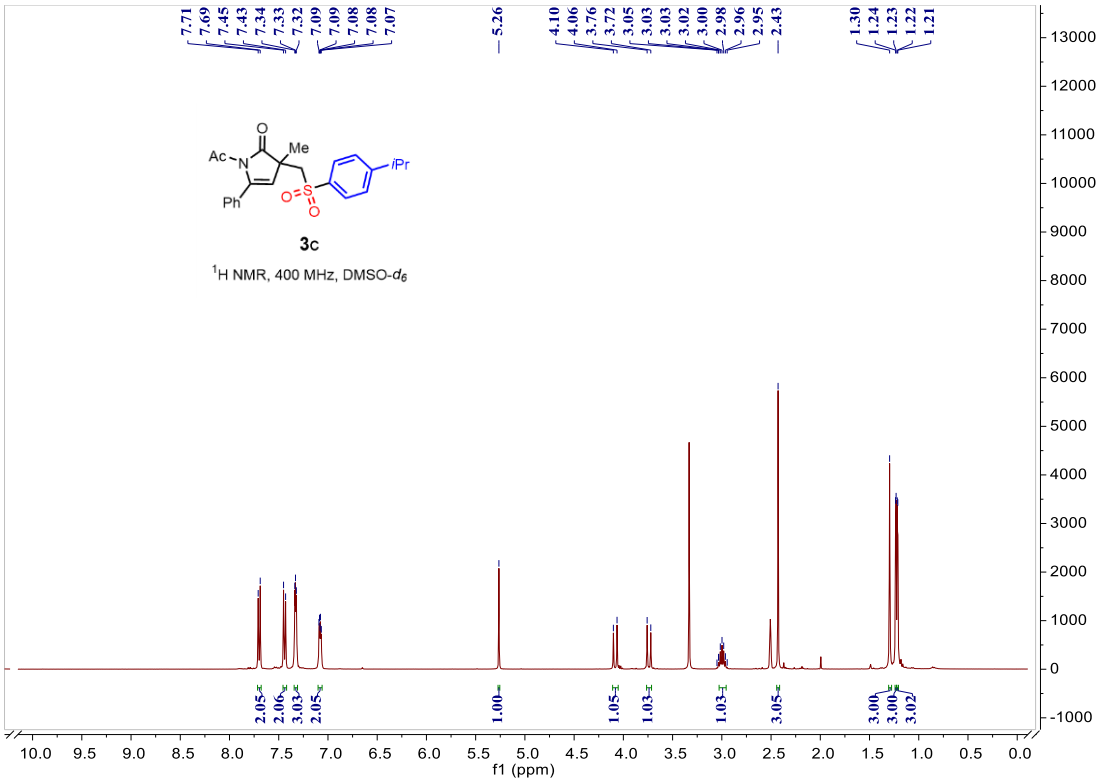


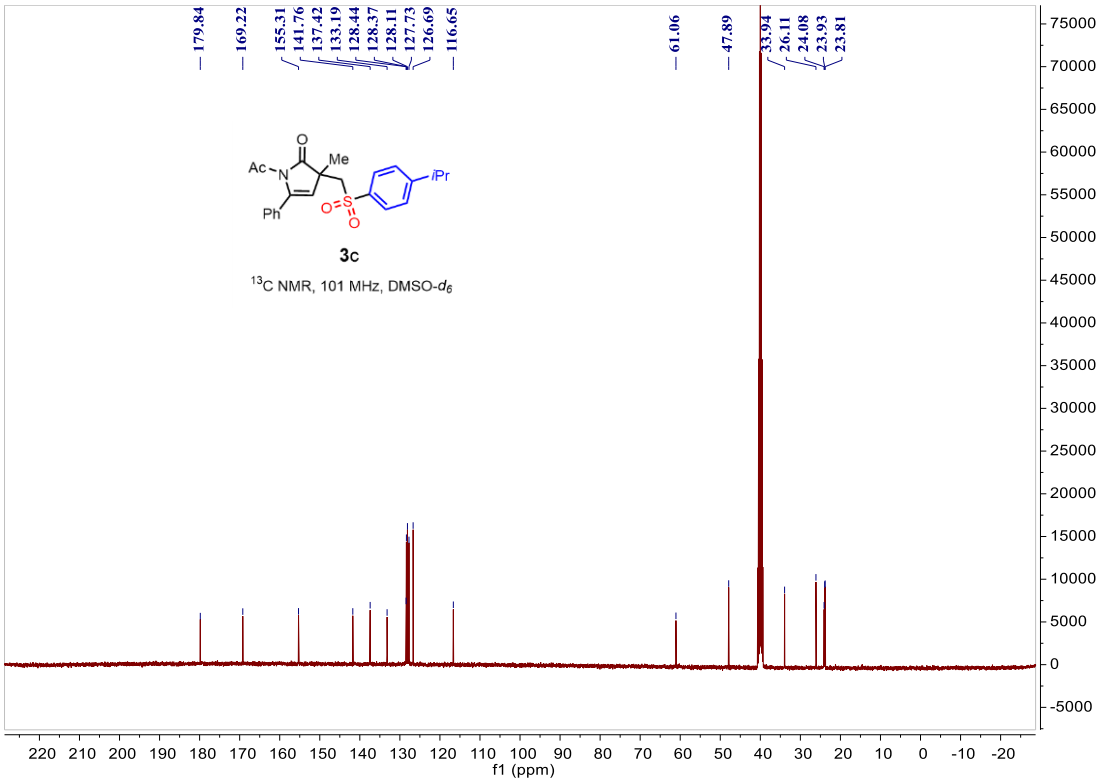
1-acetyl-3-methyl-5-phenyl-3-((m-tolylsulfonyl)methyl)-1,3-dihydro-2H-pyrrol-2-one (3b)



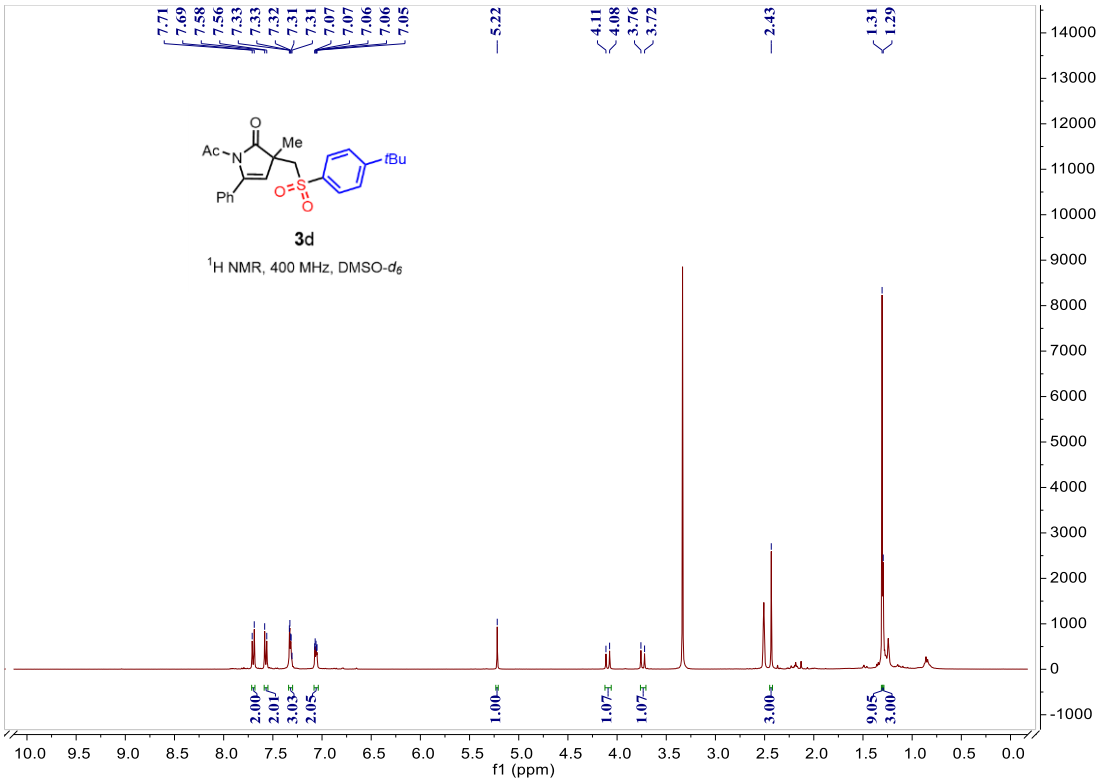


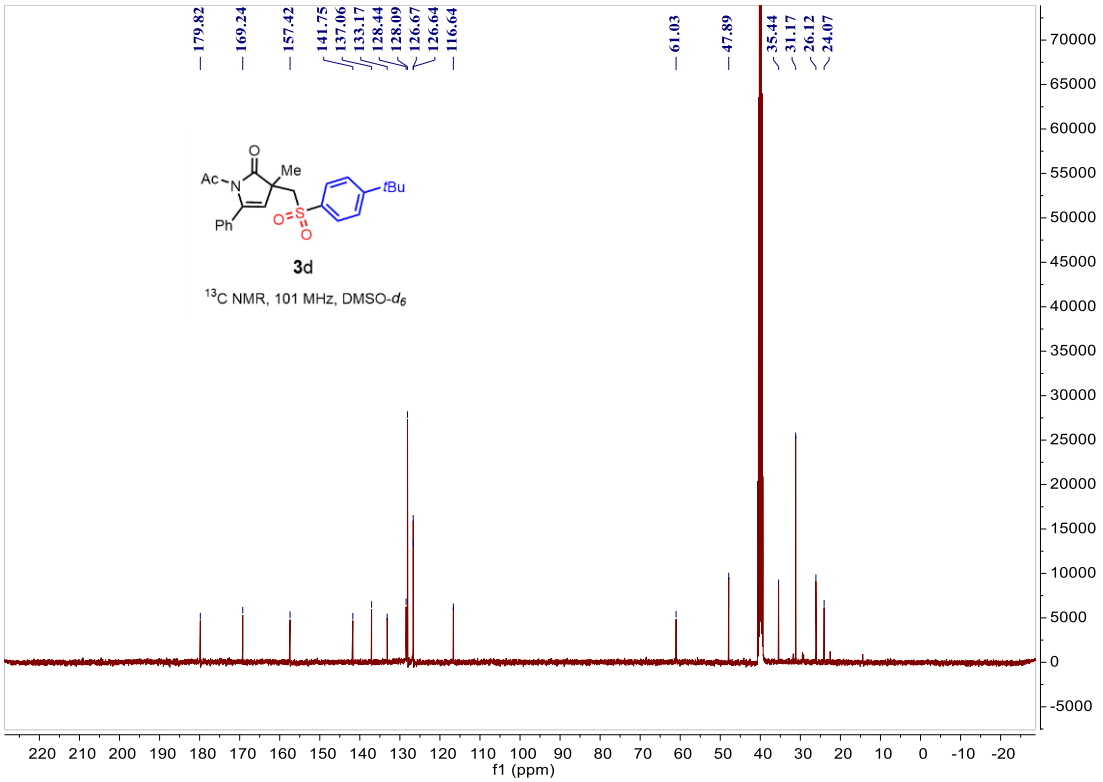
1-acetyl-3-(((4-isopropylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3c)



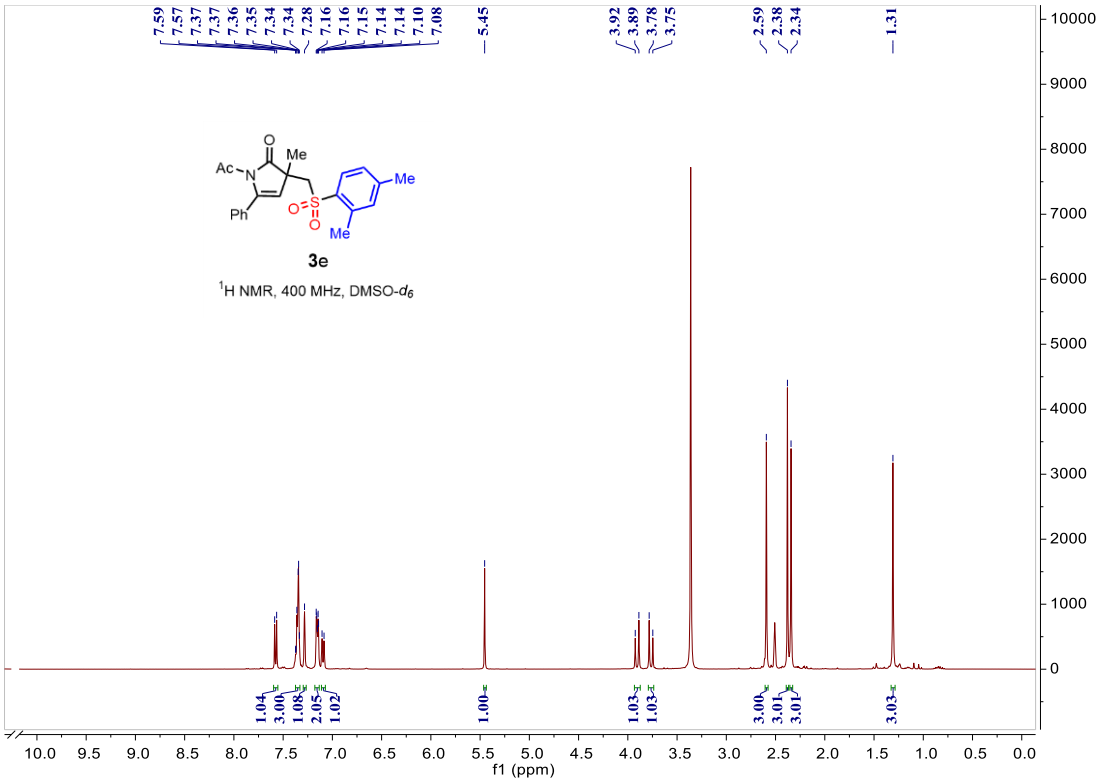


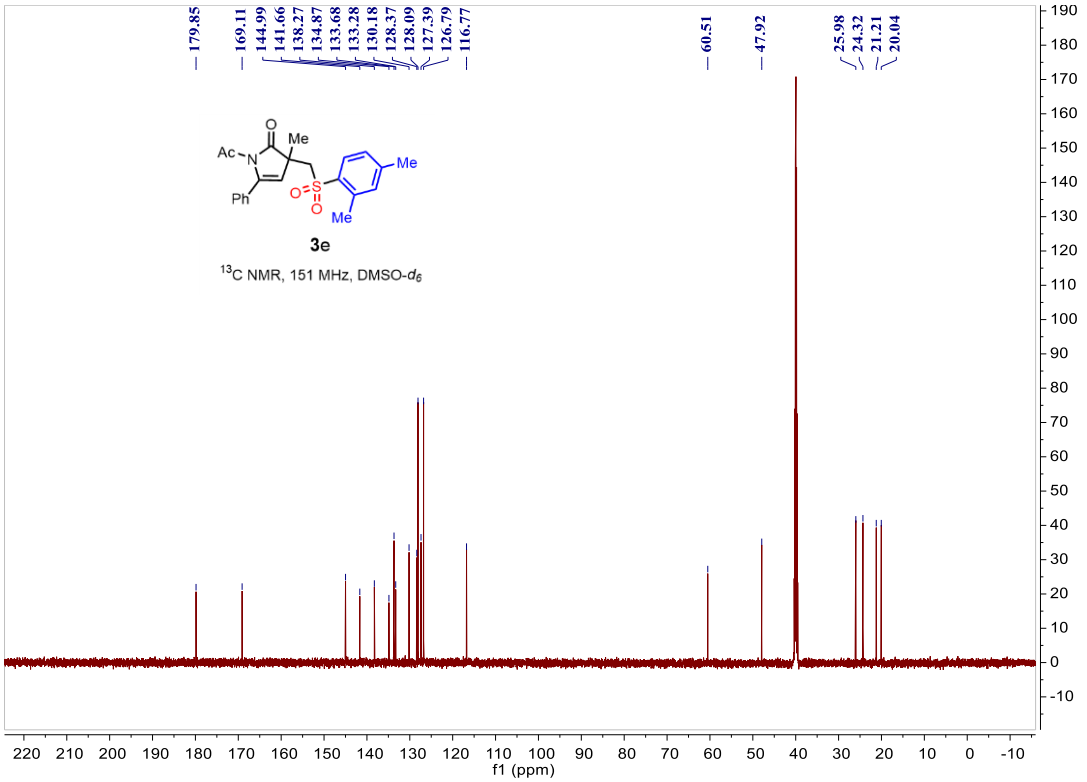
1-acetyl-3-(((4-(tert-butyl)phenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3d)



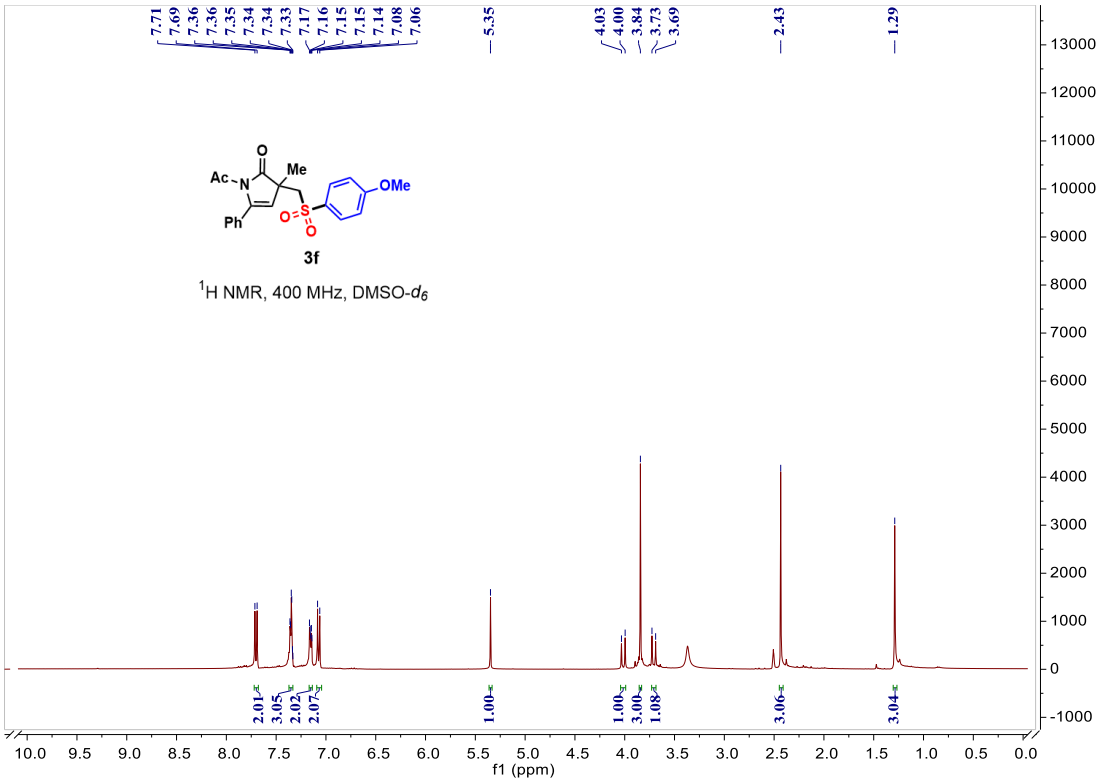


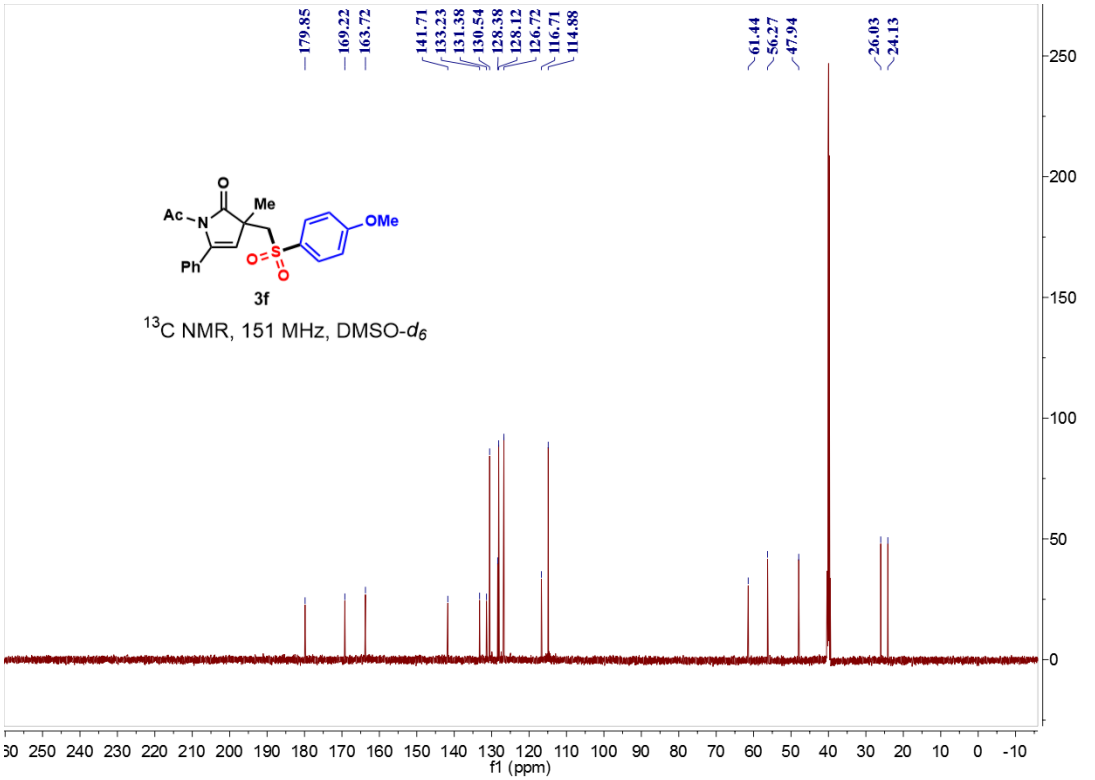
1-acetyl-3-(((2,4-dimethylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3e)



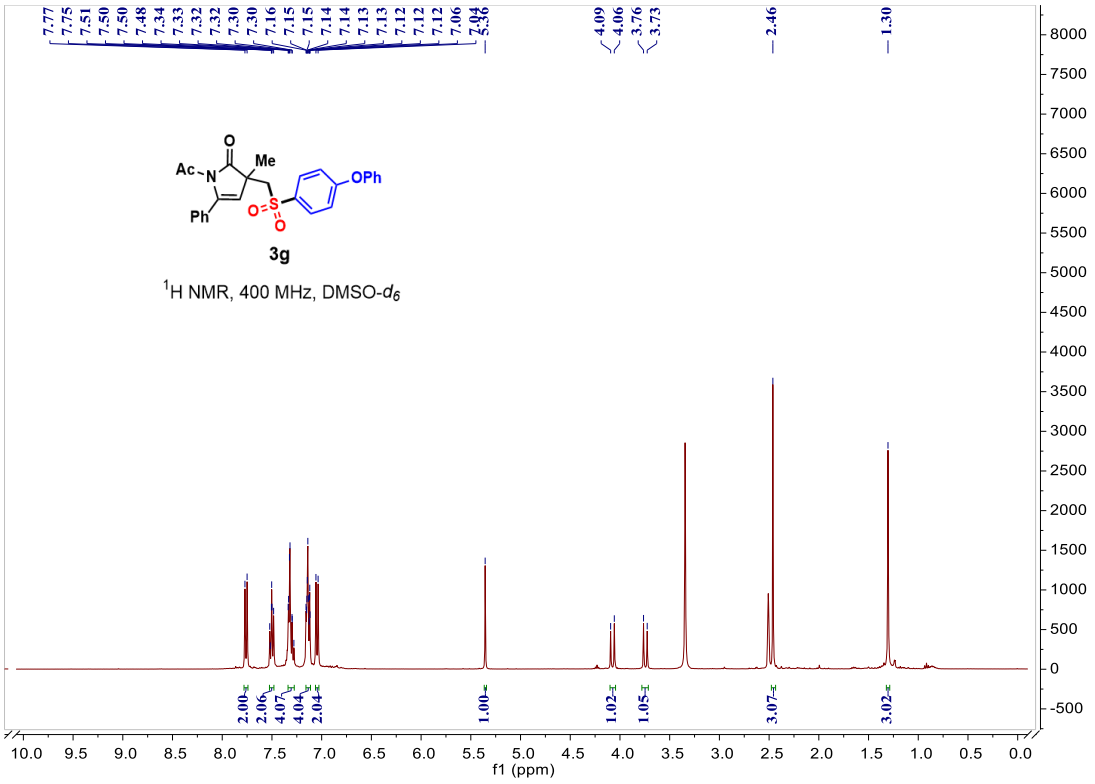


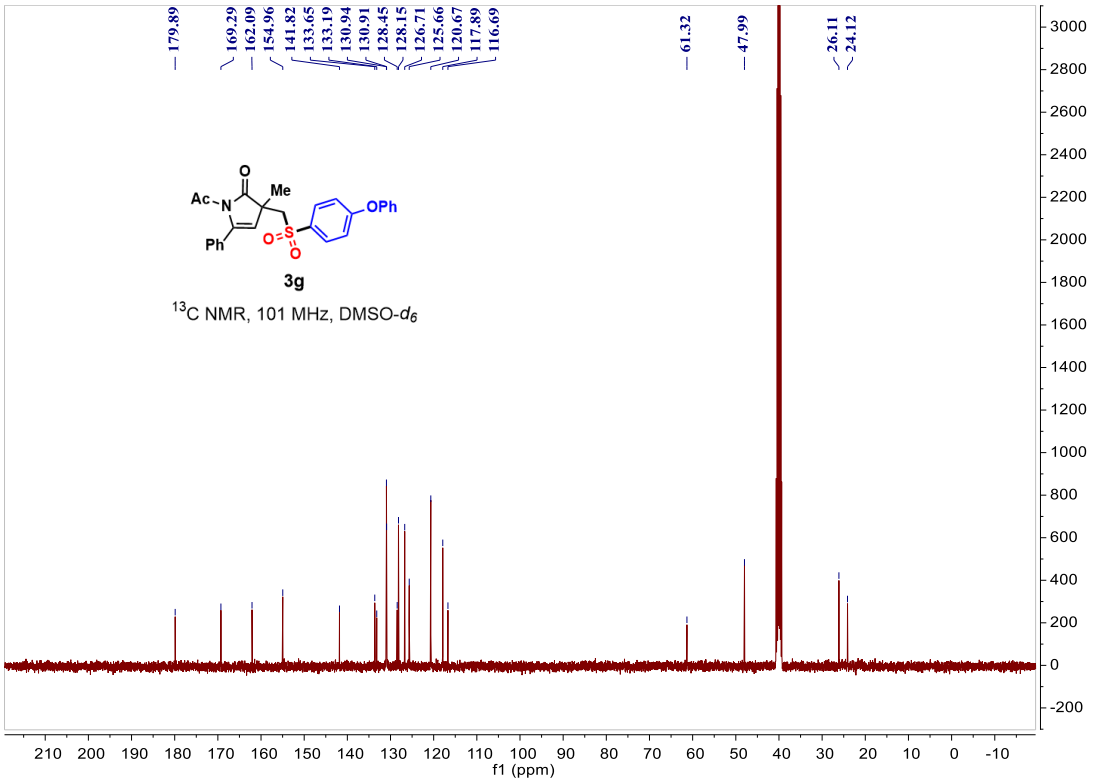
1-acetyl-3-(((4-methoxyphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3f)



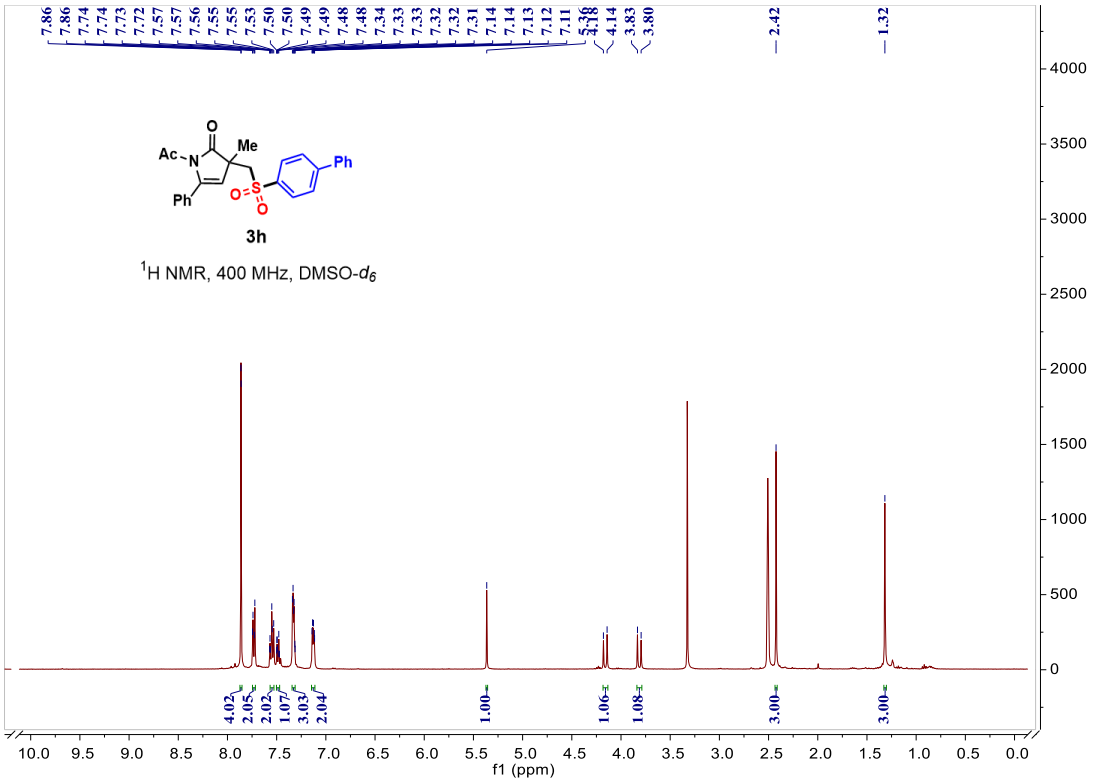


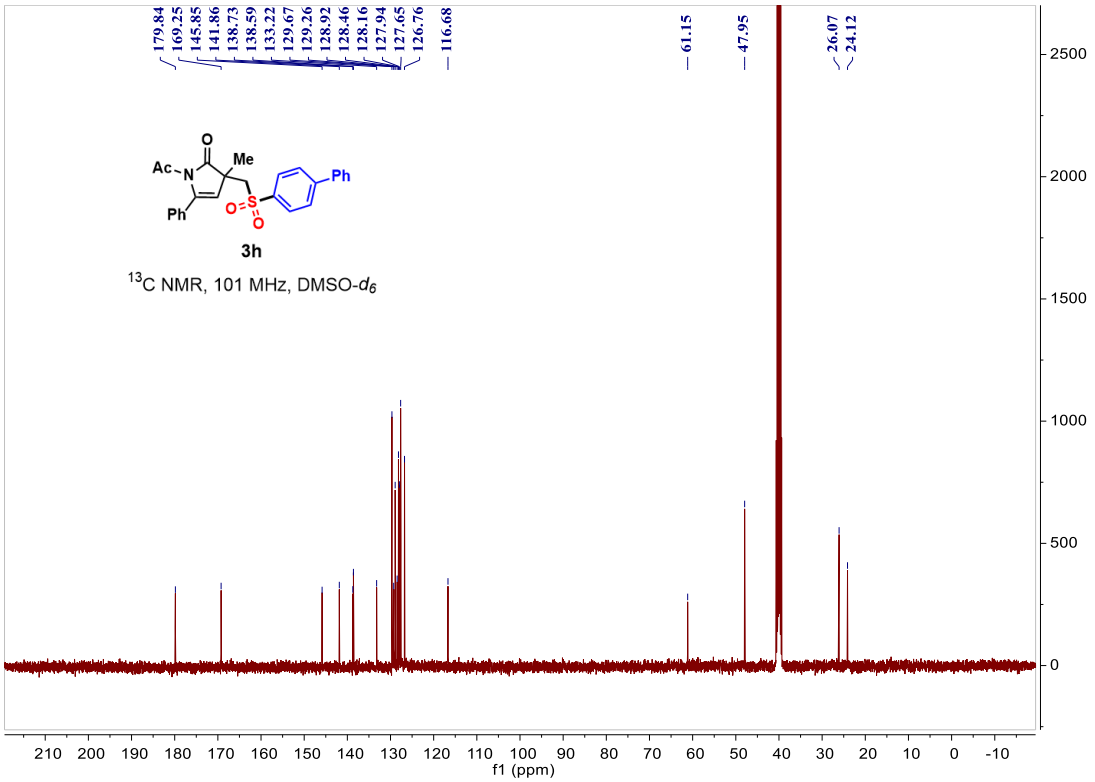
1-acetyl-3-methyl-3-(((4-phenoxyphenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3g)



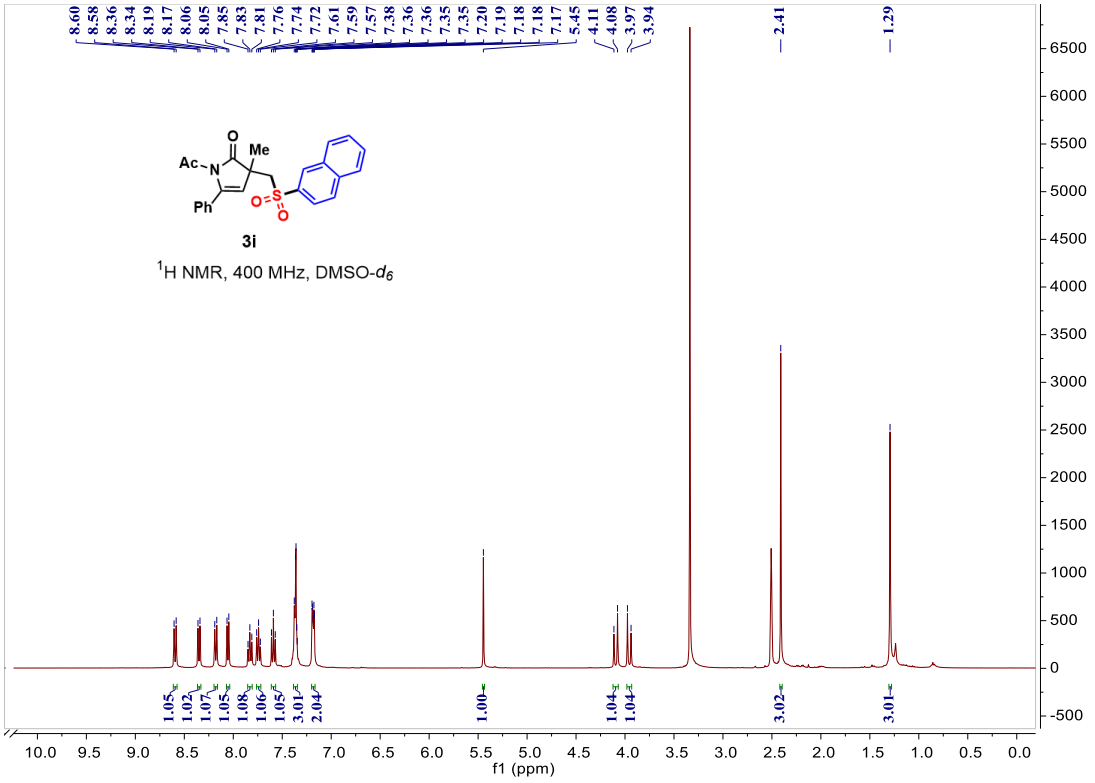


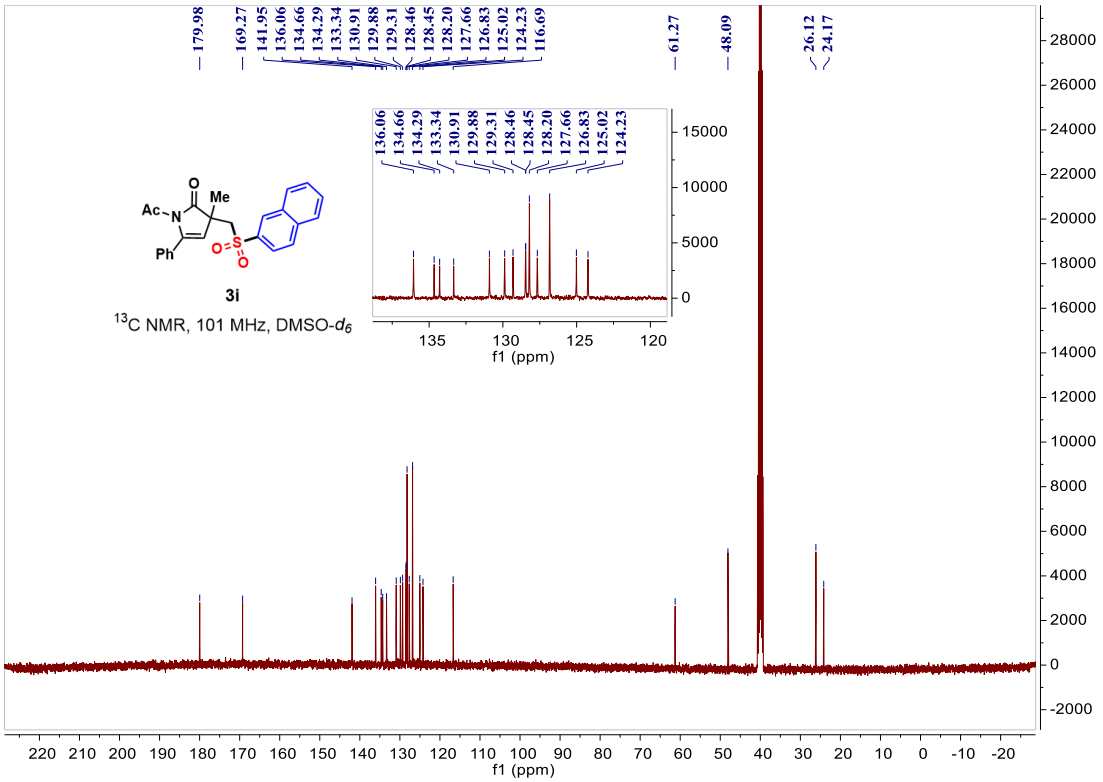
2-(([1,1'-biphenyl]-4-ylsulfonyl)methyl)-1-acetyl-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3h)



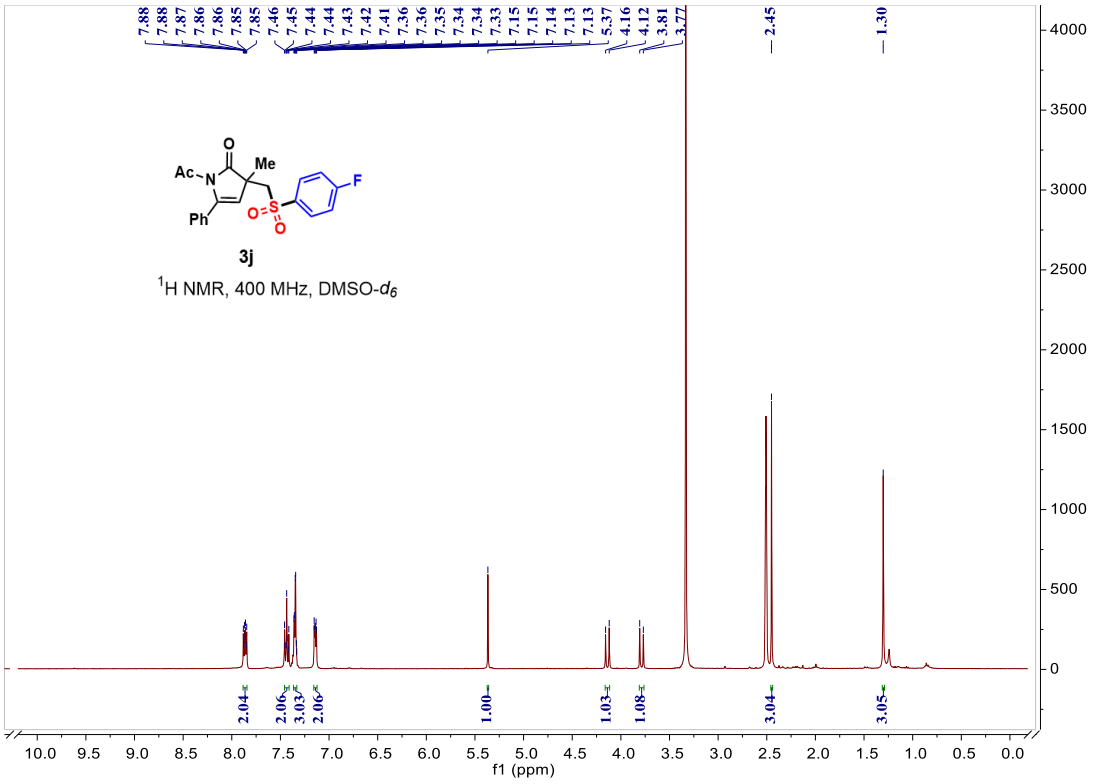


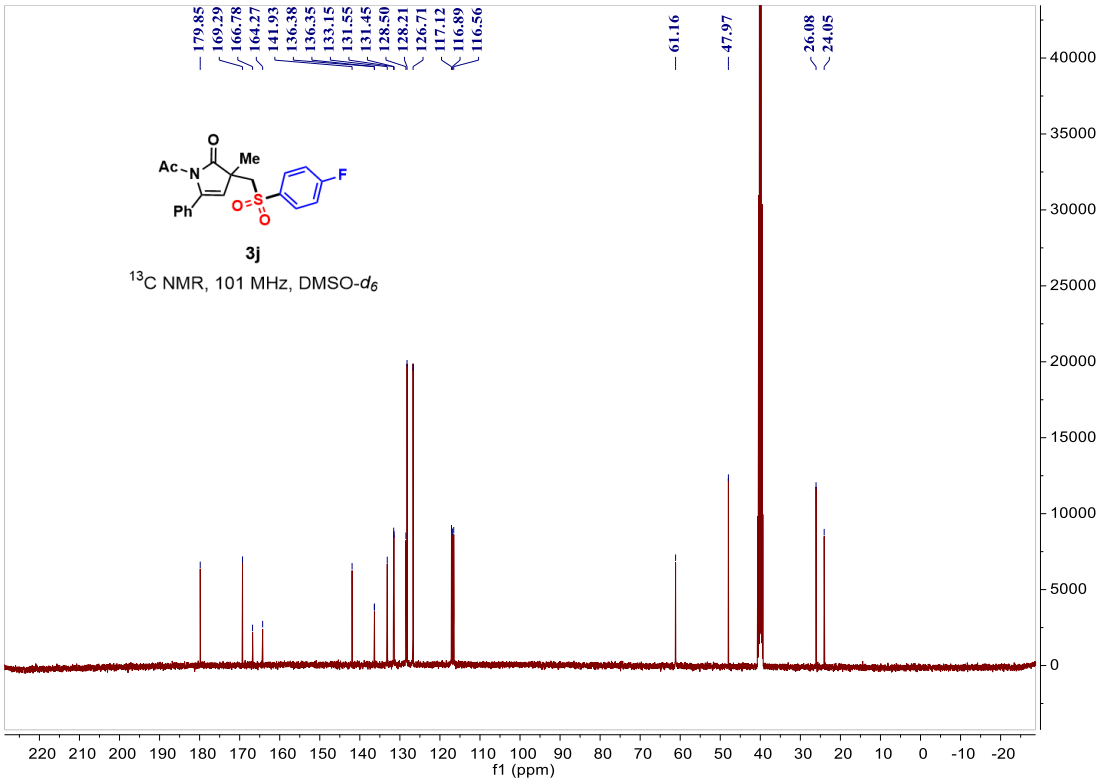
1-acetyl-3-methyl-3-((naphthalen-2-ylsulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3i)





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

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116.56
61.16
47.97
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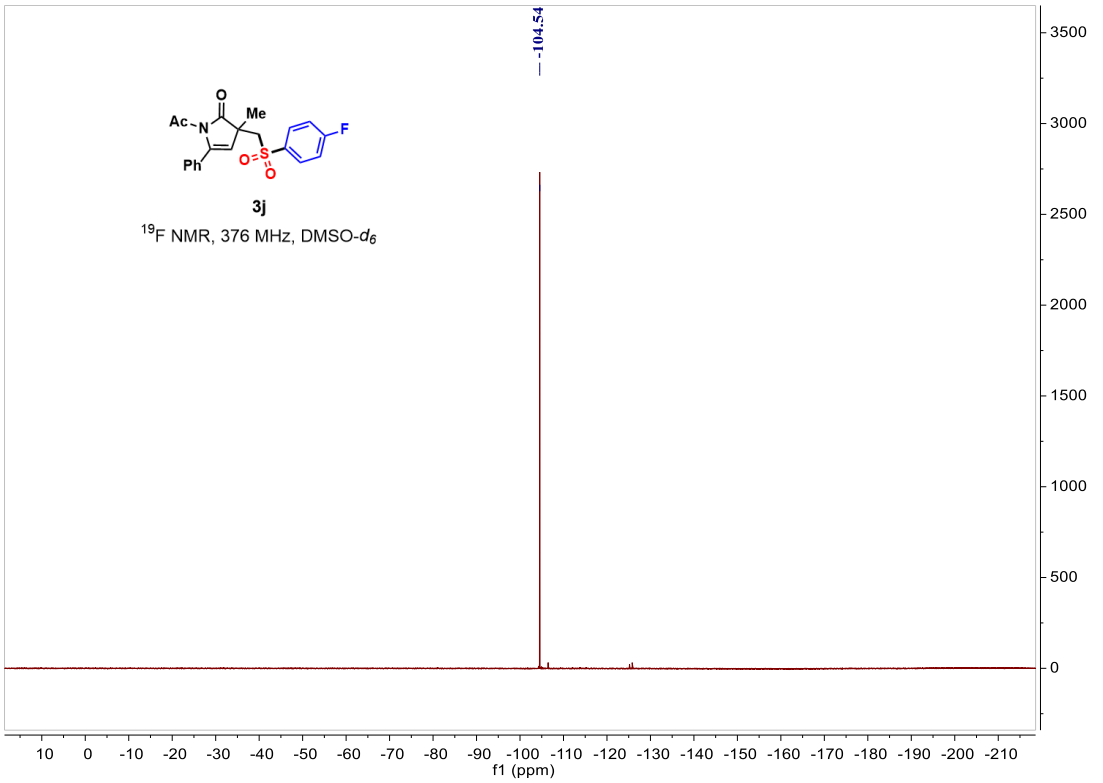
24.05
Ac
N
O
Me
Ph
O=S=O
F
3j
13C NMR, 101 MHz, DMSO-d6
f1 (ppm)


-104.54
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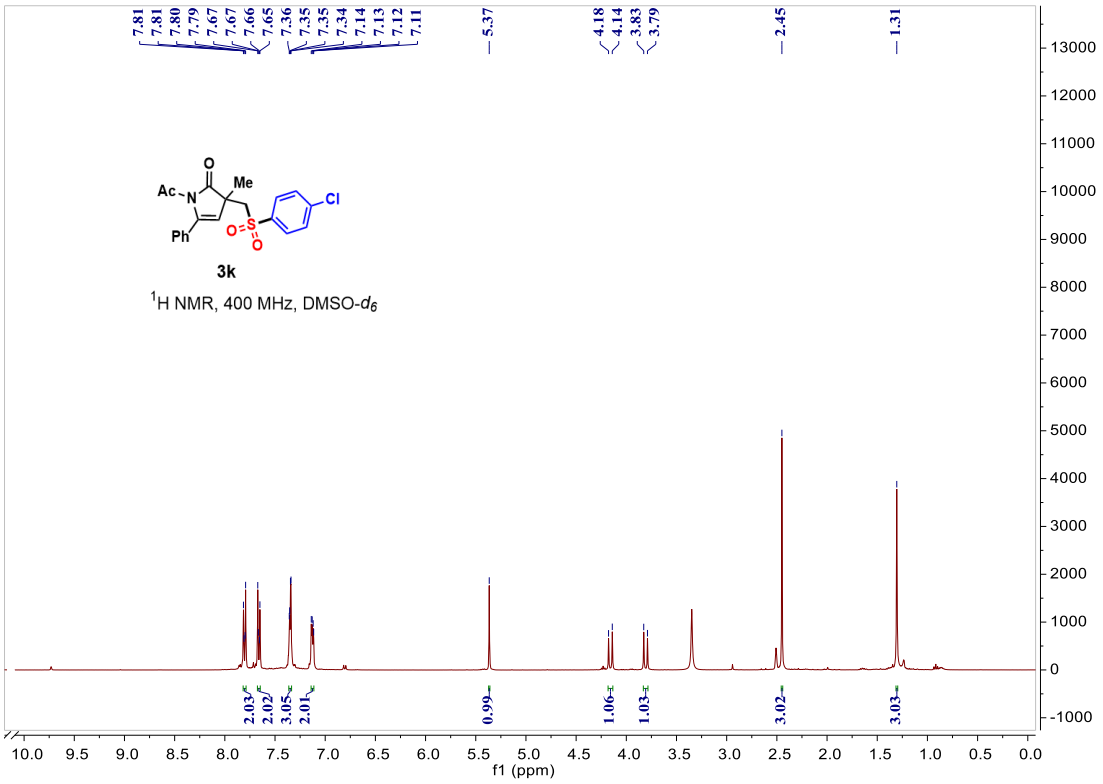
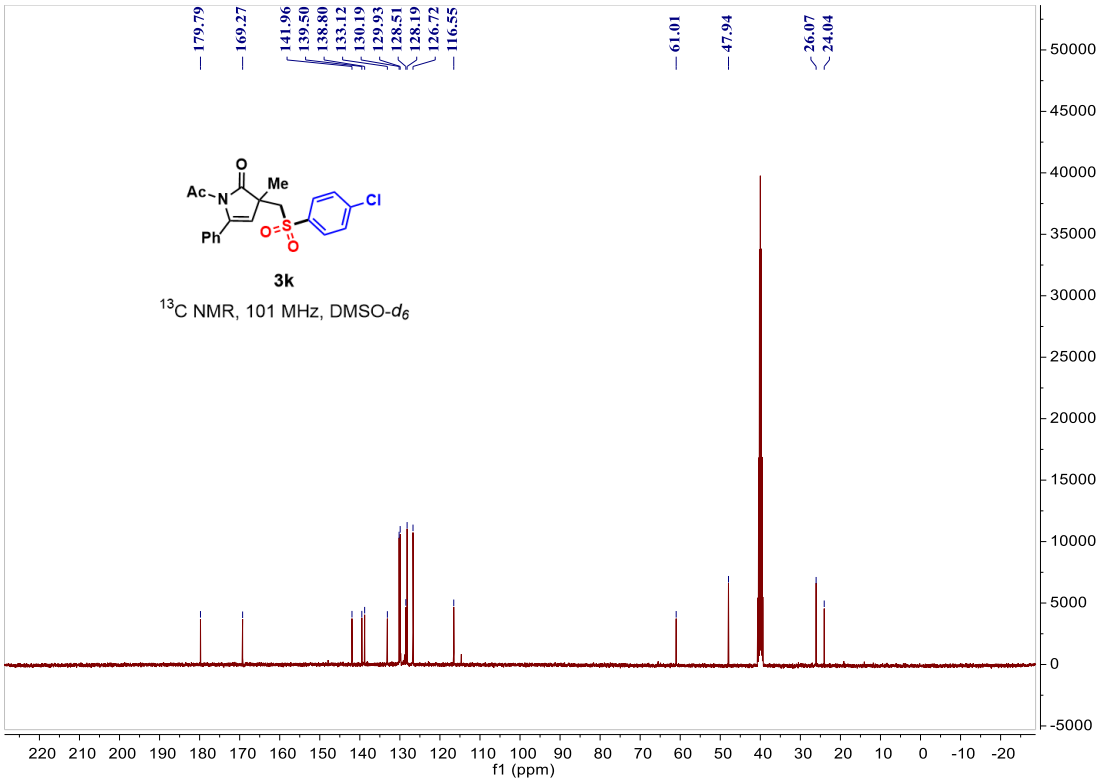
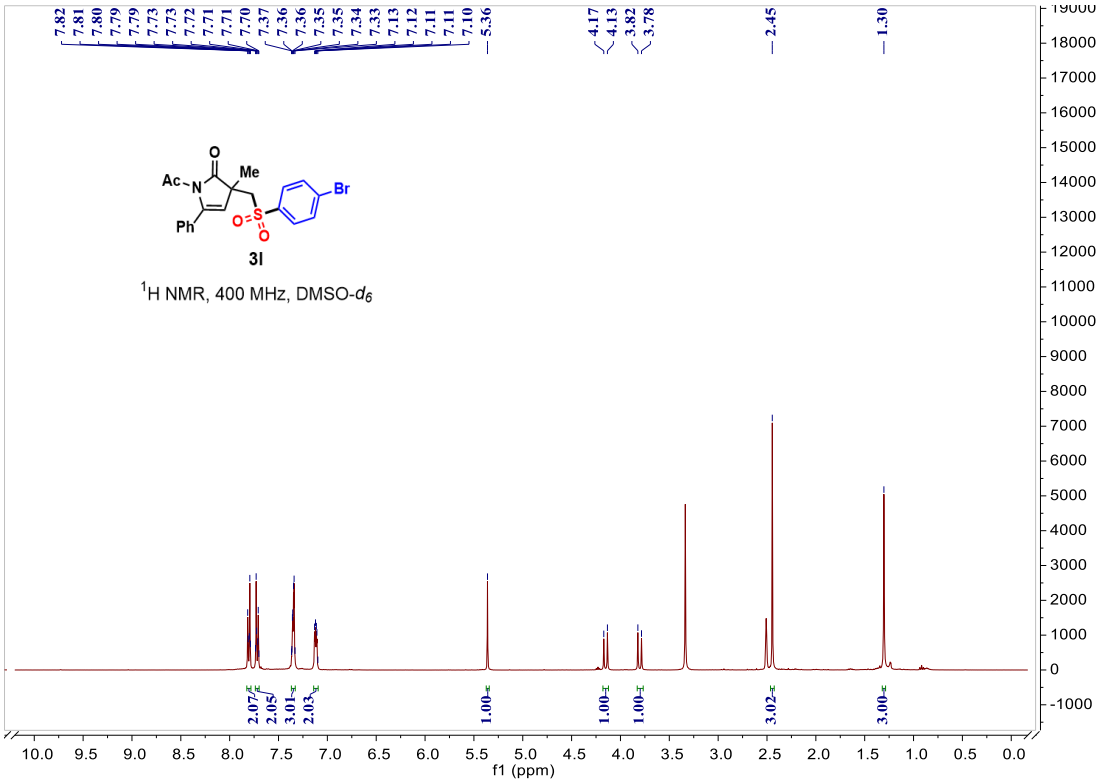
O
Me
Ph
O=S=O
F
3j
19F NMR, 376 MHz, DMSO-d6
f1 (ppm)

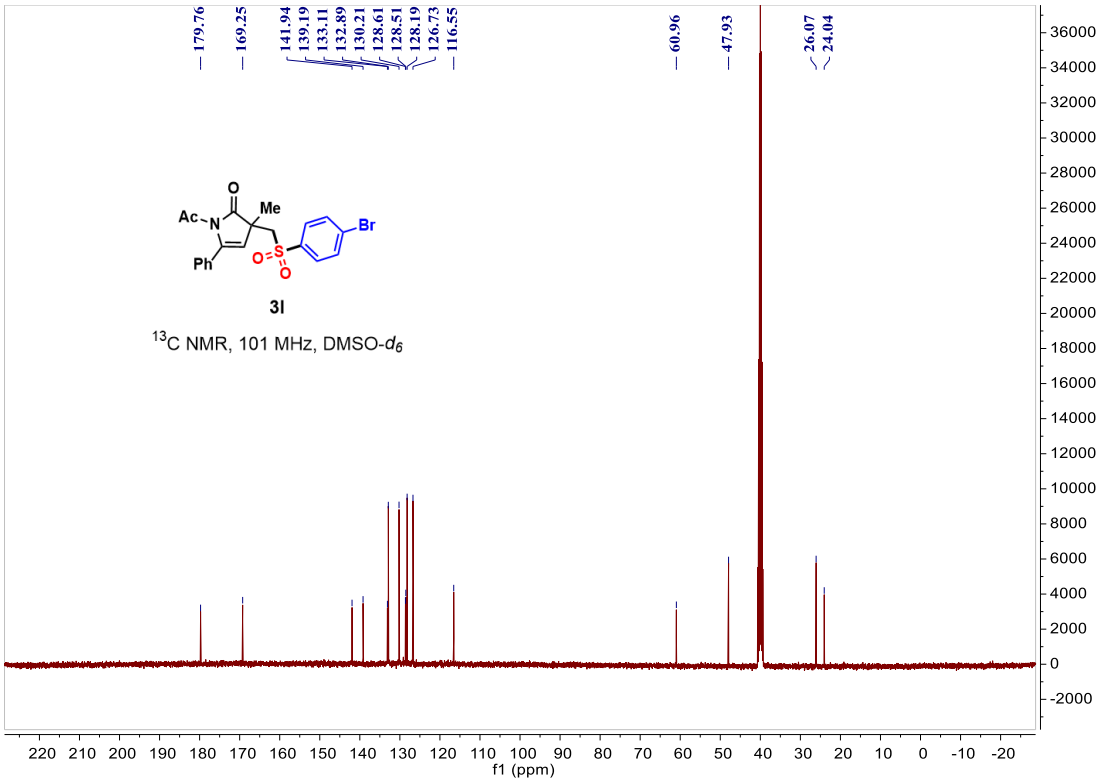
1-acetyl-3-(((4-chlorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3k)



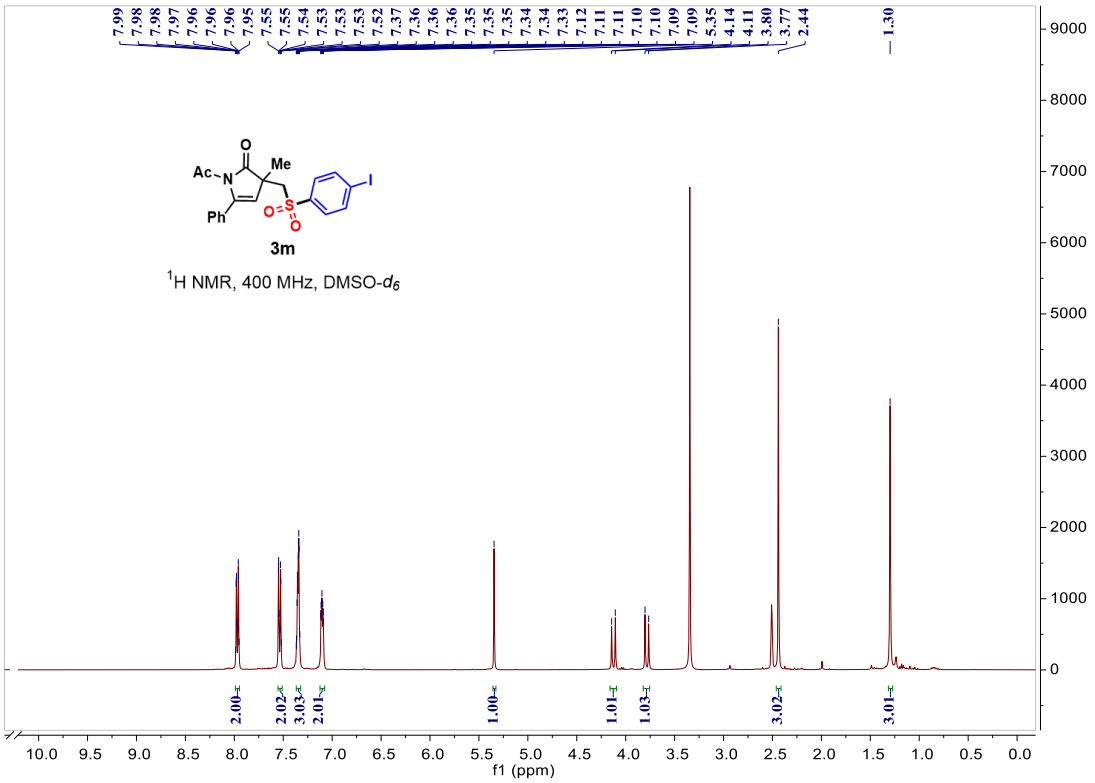


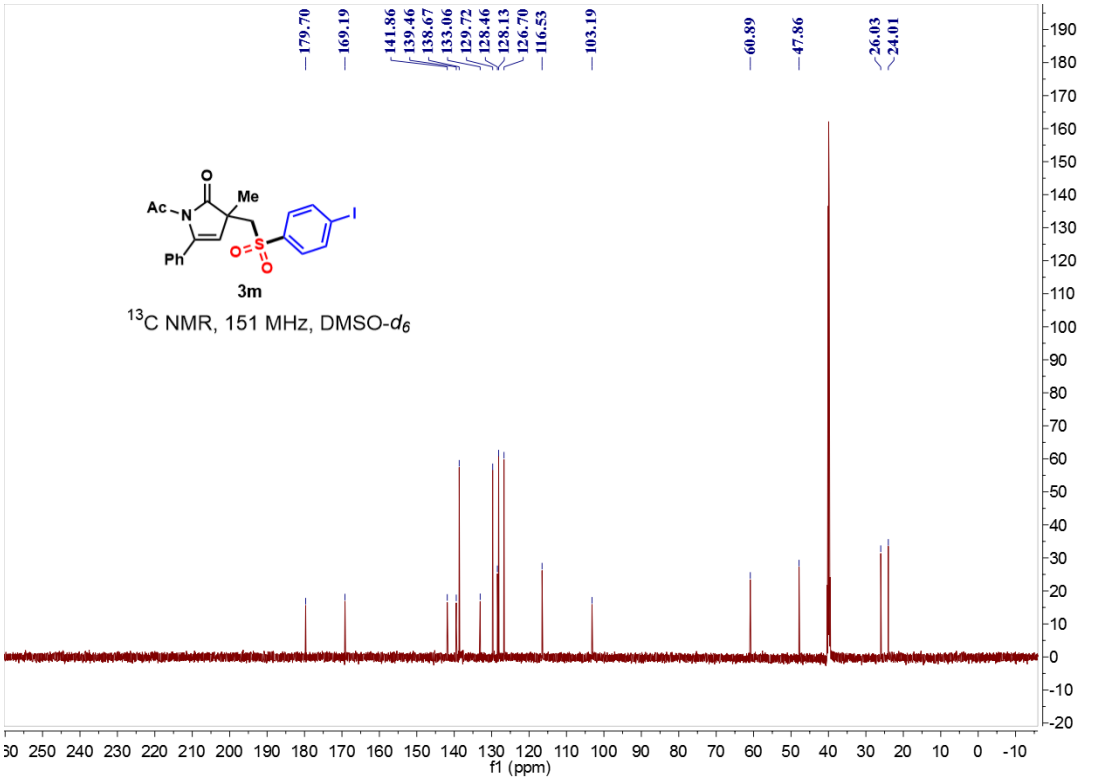
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3l)



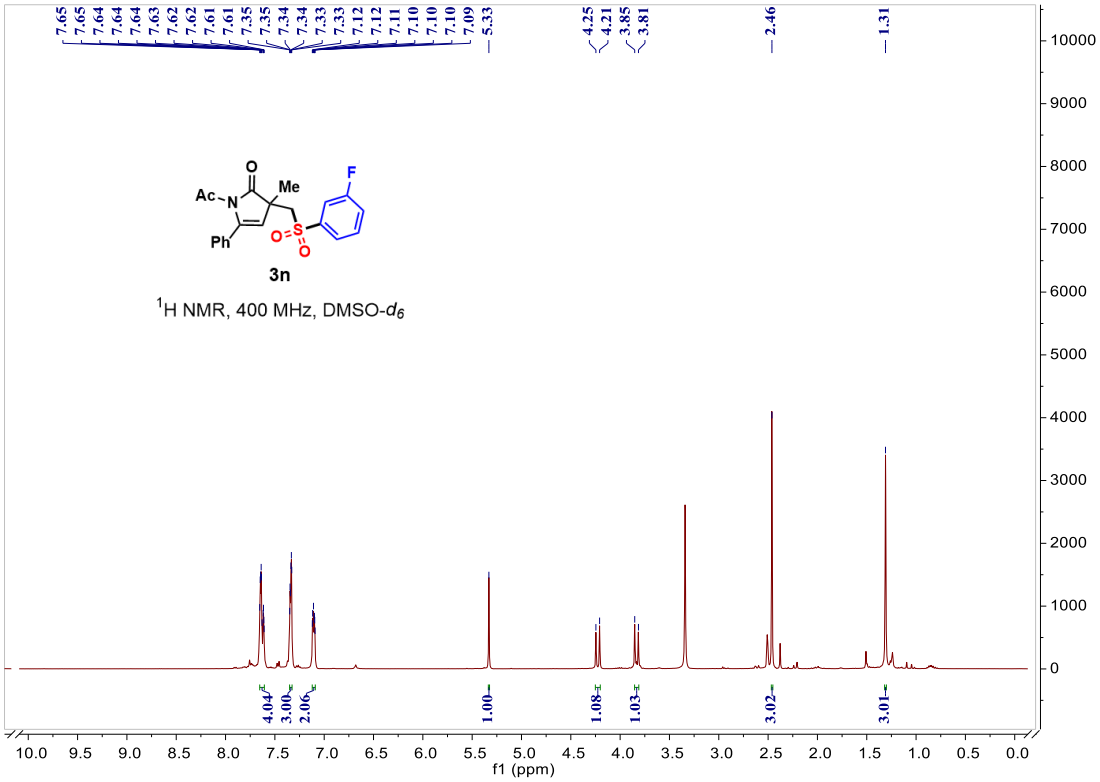


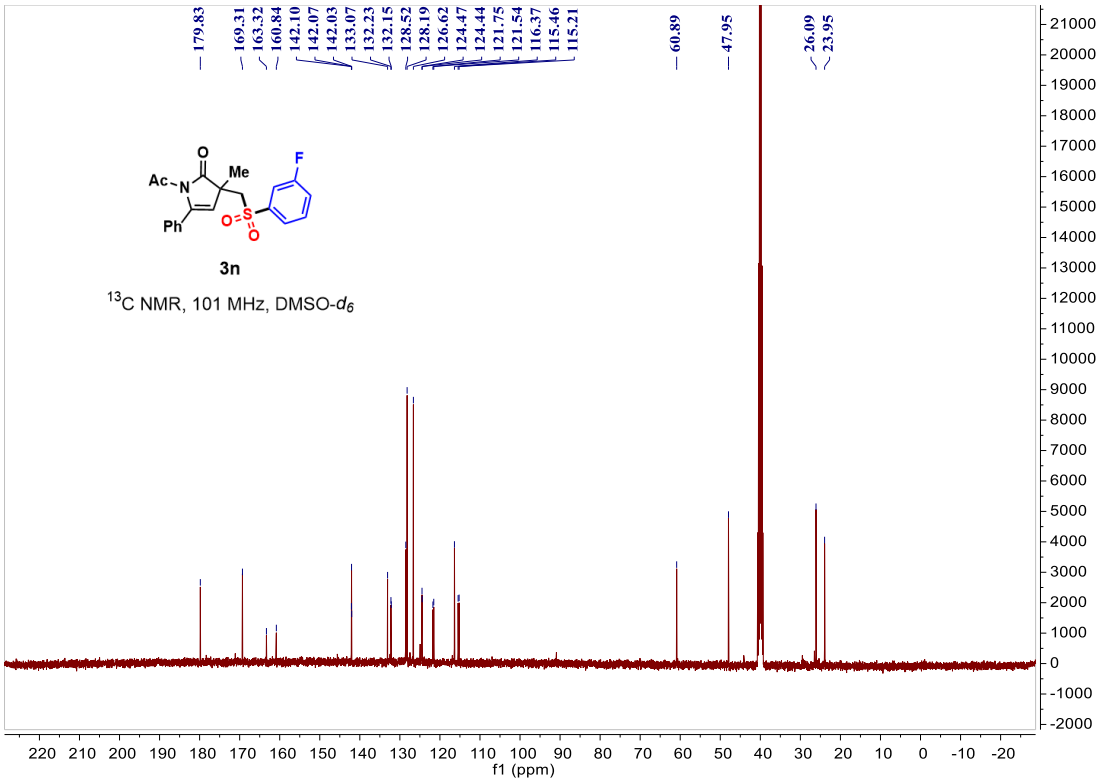
1-acetyl-3-(((4-iodophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3m)

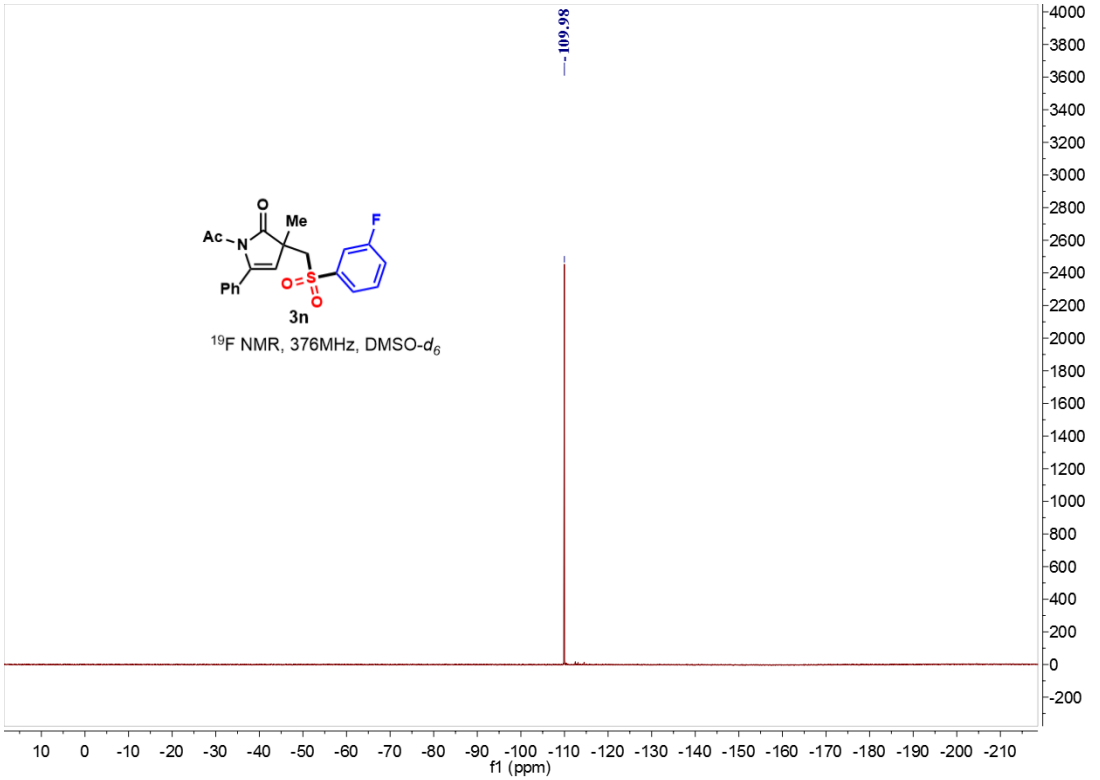




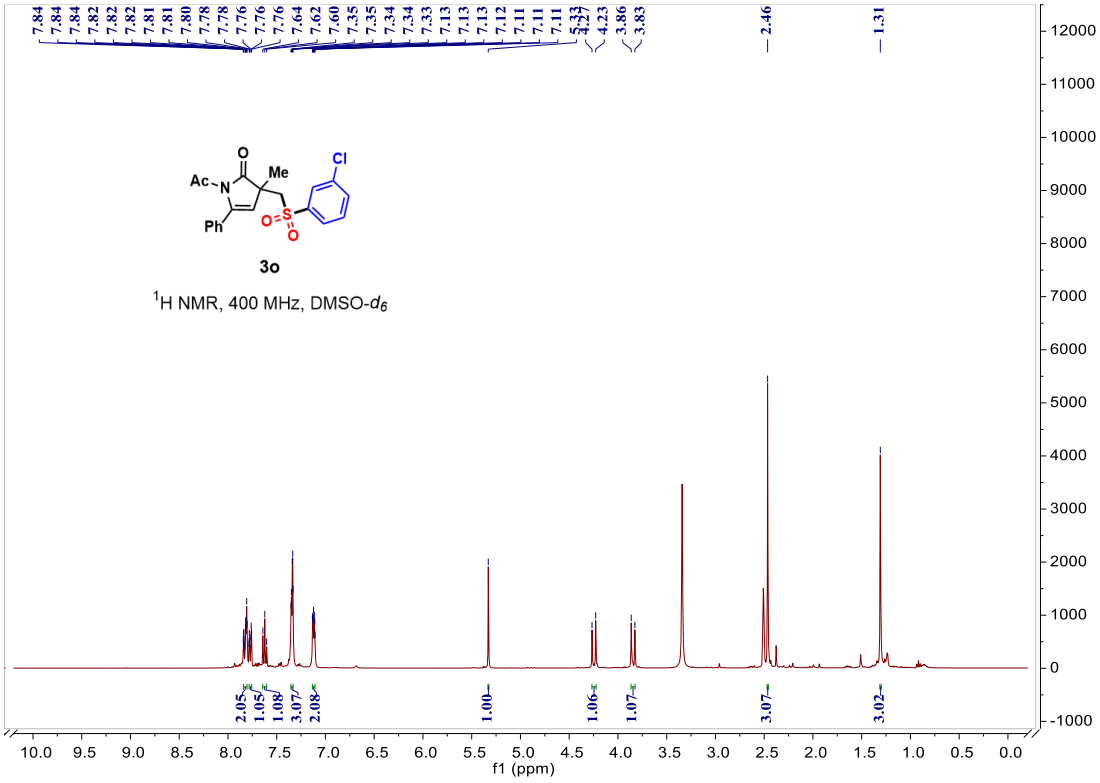
1-acetyl-3-(((3-fluorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3n)

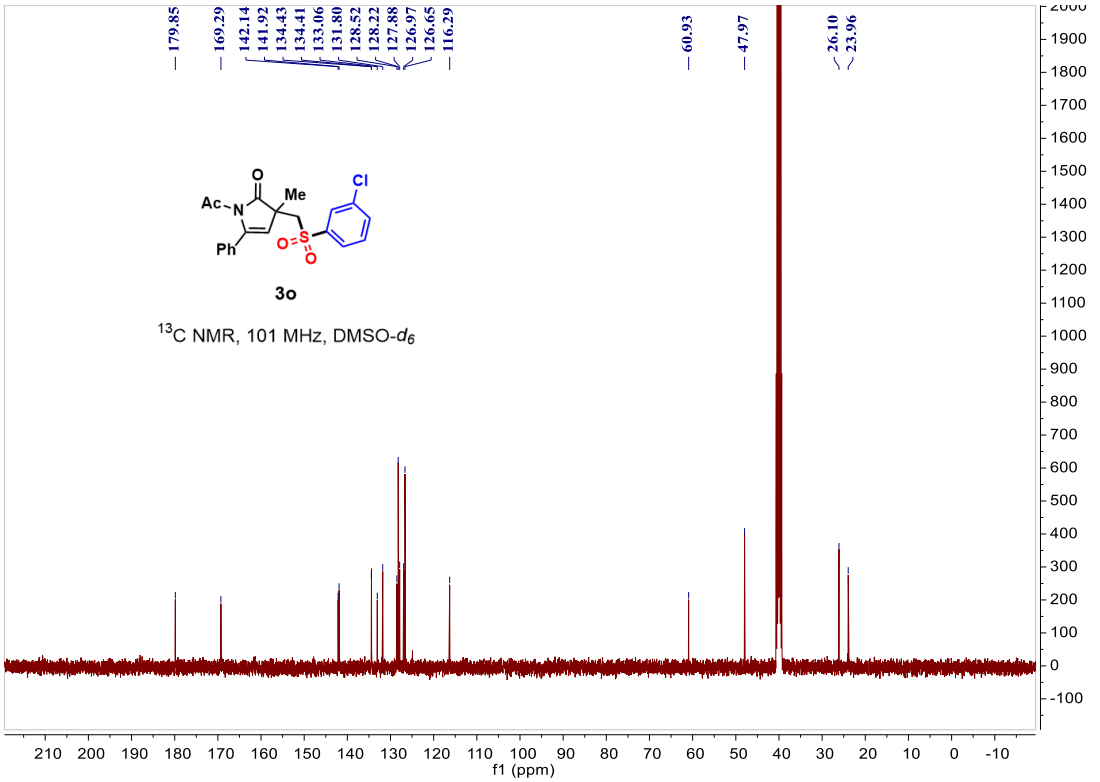




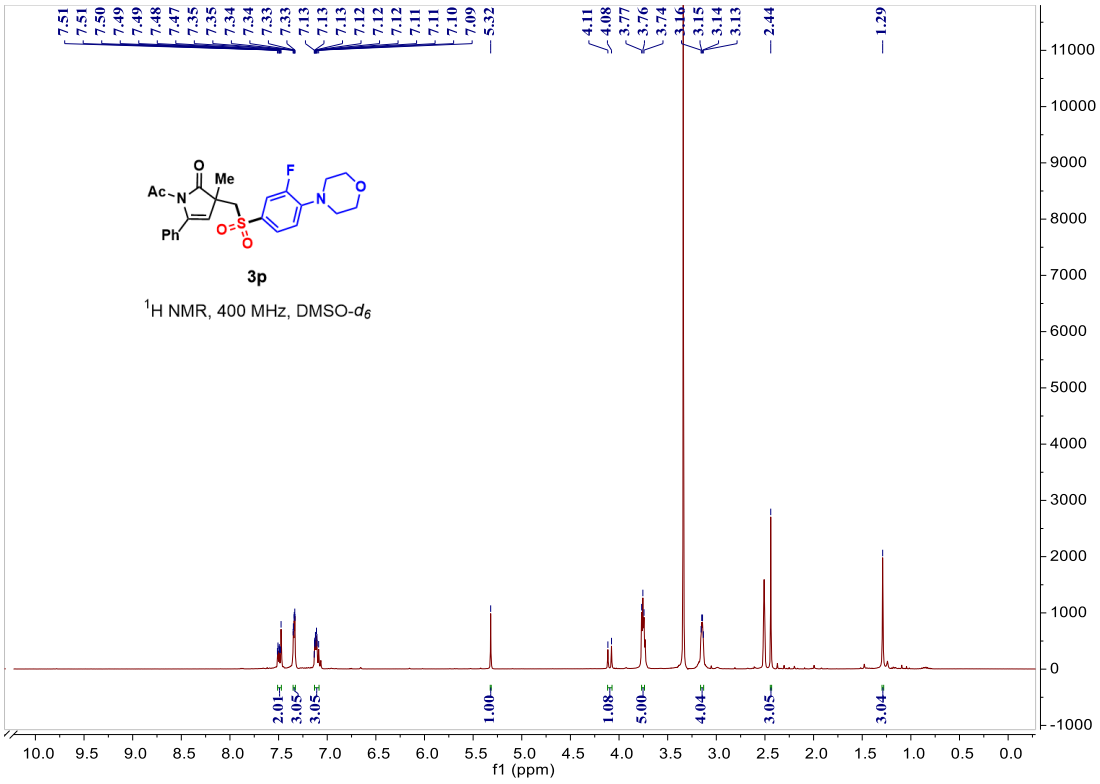


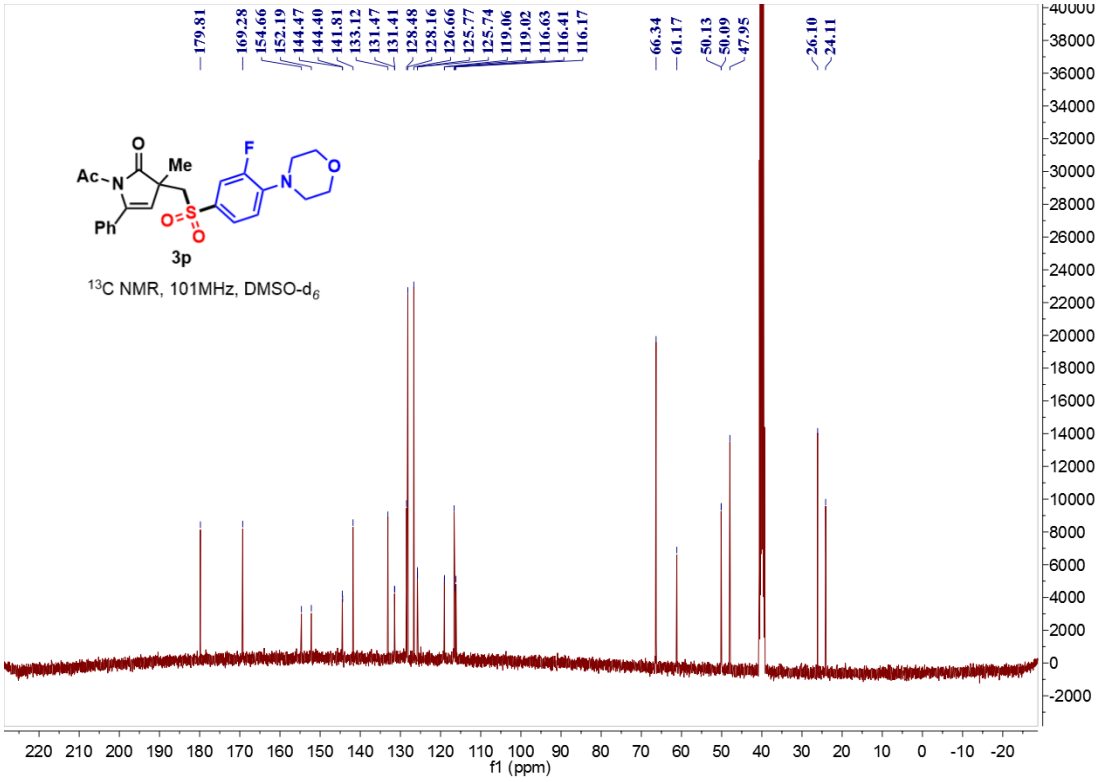
1-acetyl-3-(((3-chlorophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3o)





1-acetyl-3-(((3-fluoro-4-morpholinophenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3p)

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125.74
119.06
119.02
116.63
116.41
116.17
66.34
61.17
50.13
50.09
47.95
26.10
24.11
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N
Me
Ph
O
S
F
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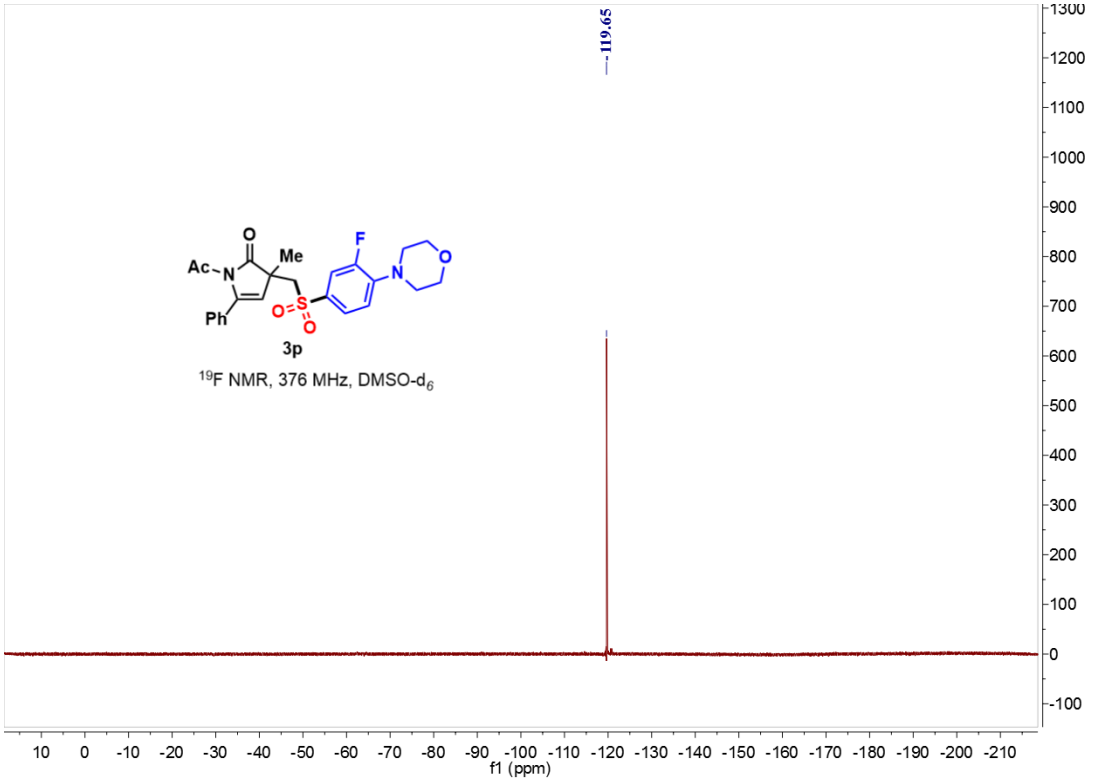
N
O
3p
13C NMR, 101MHz, DMSO-d6
f1 (ppm)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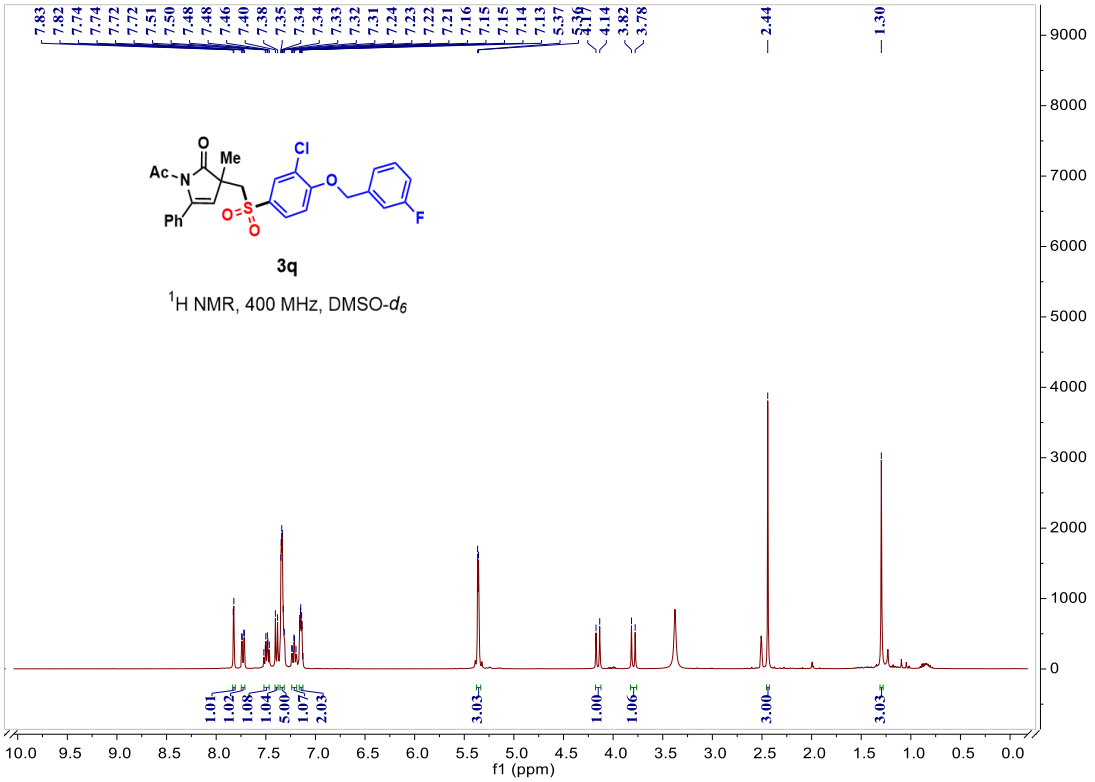
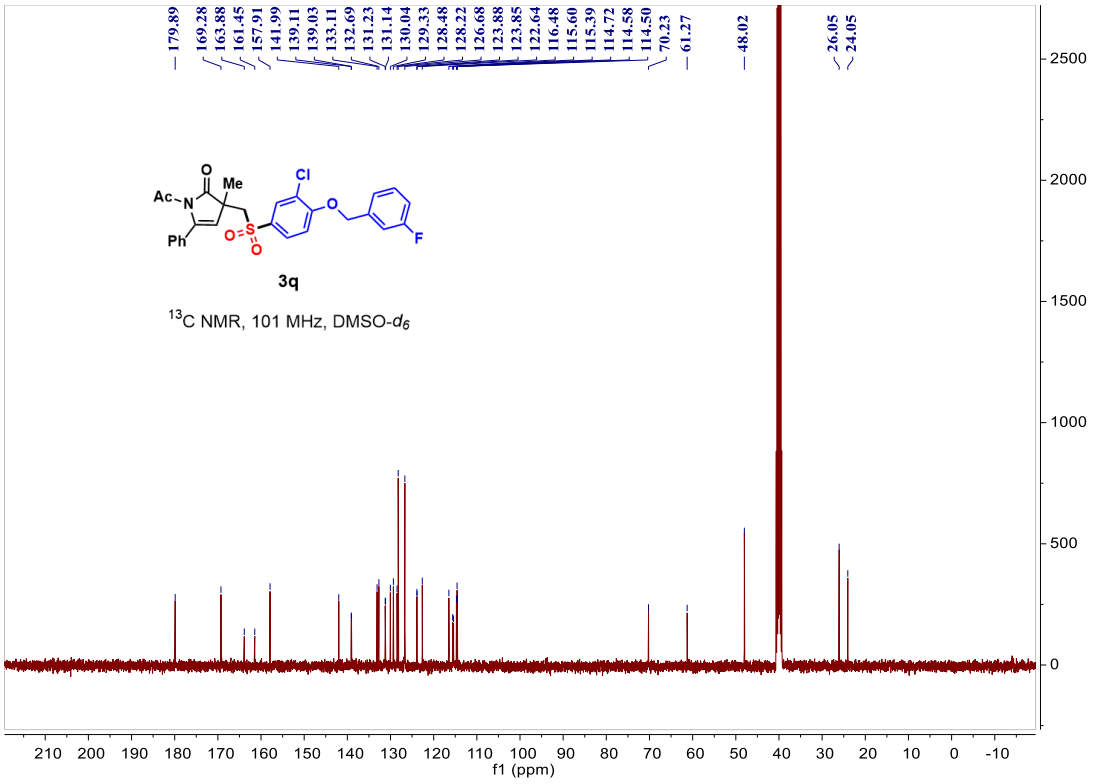
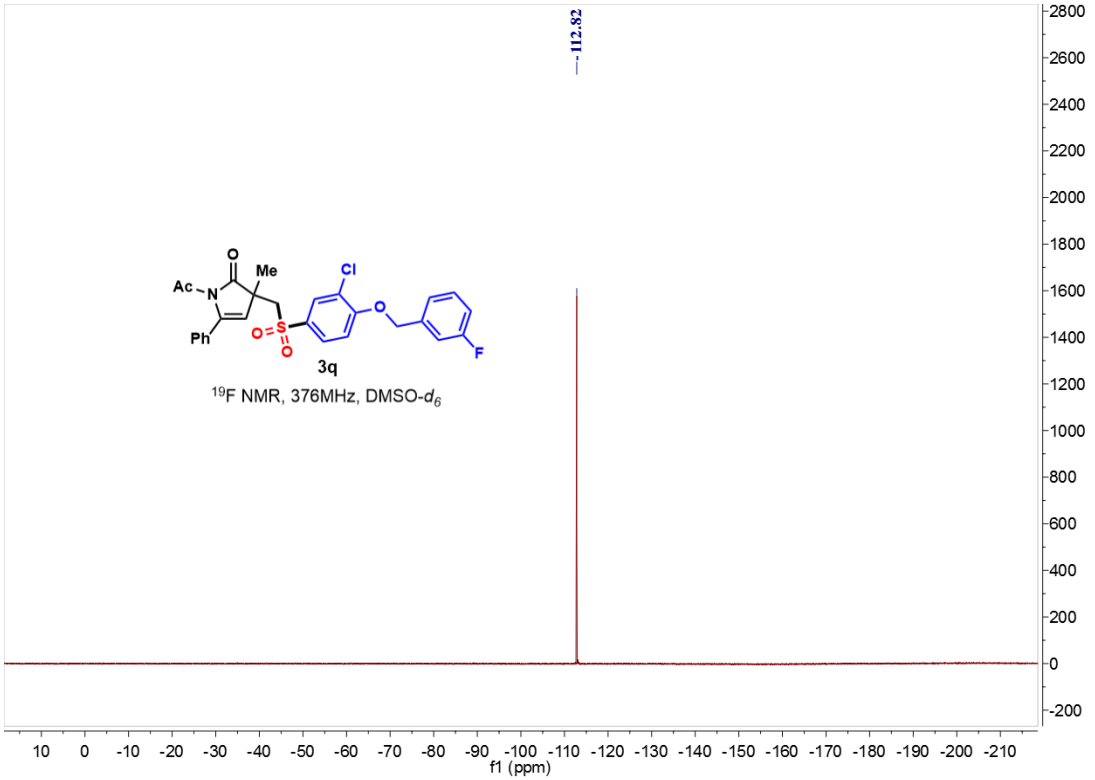
Me
Ph
O
S
F
O
N
O
3p
19F NMR, 376 MHz, DMSO-d6
f1 (ppm)

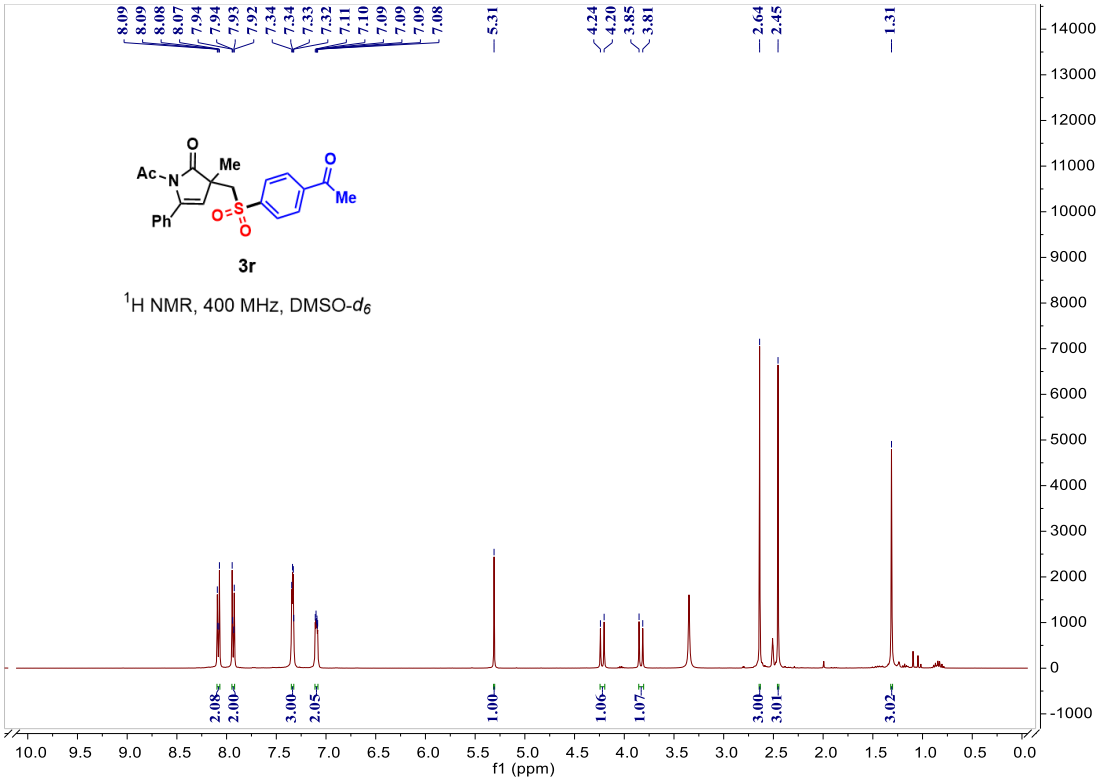
1-acetyl-3-(((3-chloro-4-((3-fluorobenzyl)oxy)phenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3q)

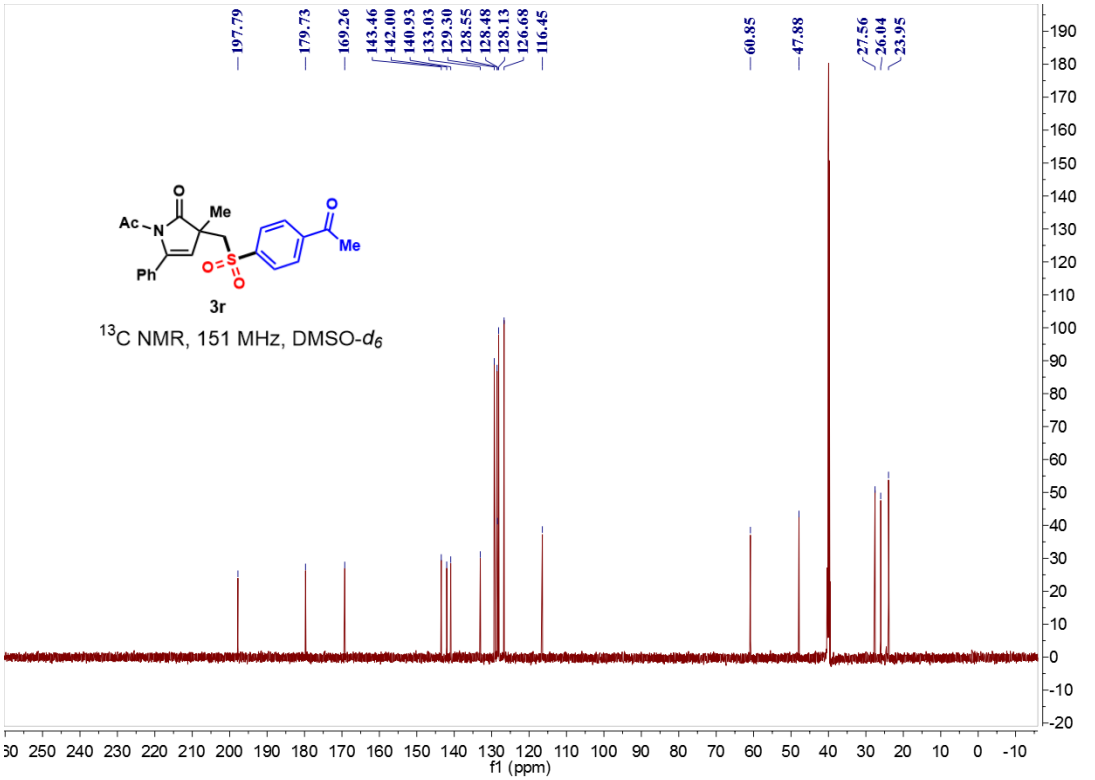




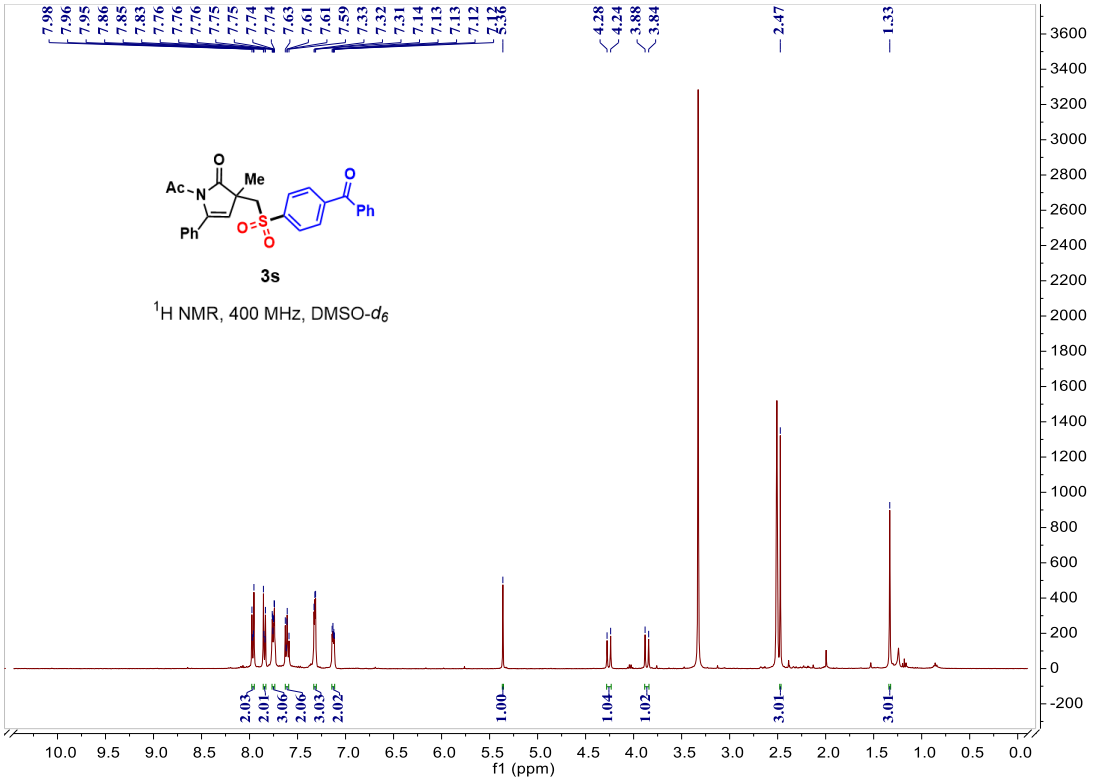


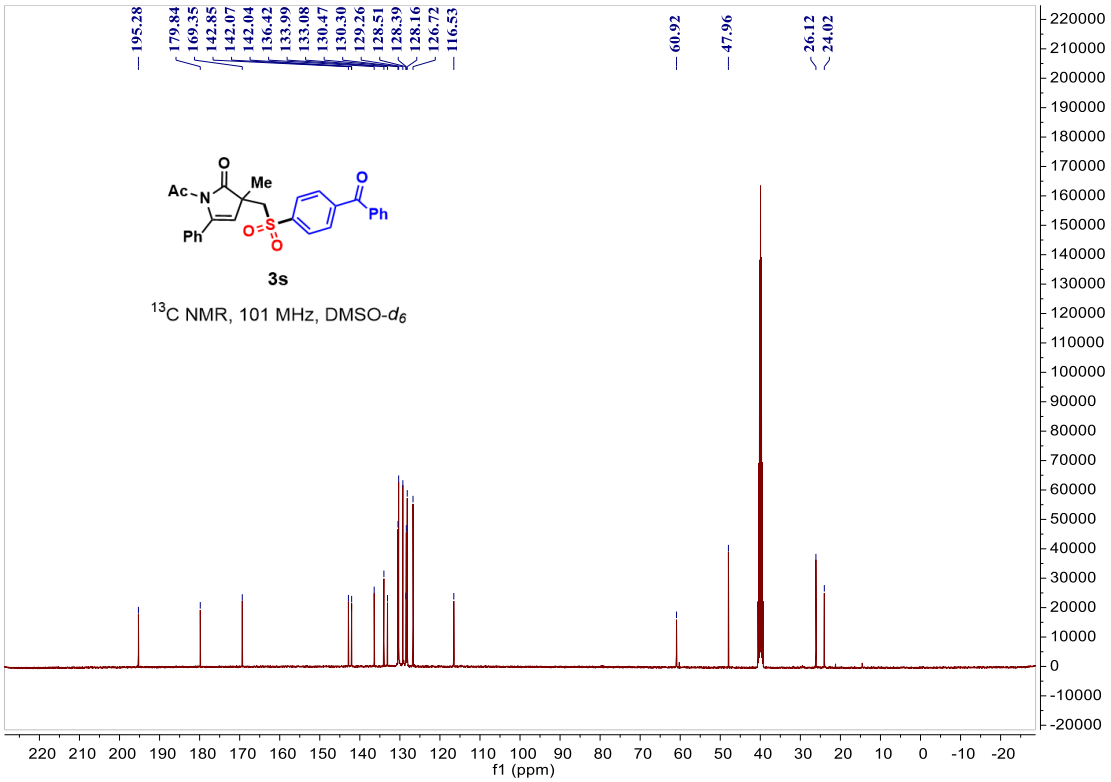
1-acetyl-3-(((4-acetylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3r)



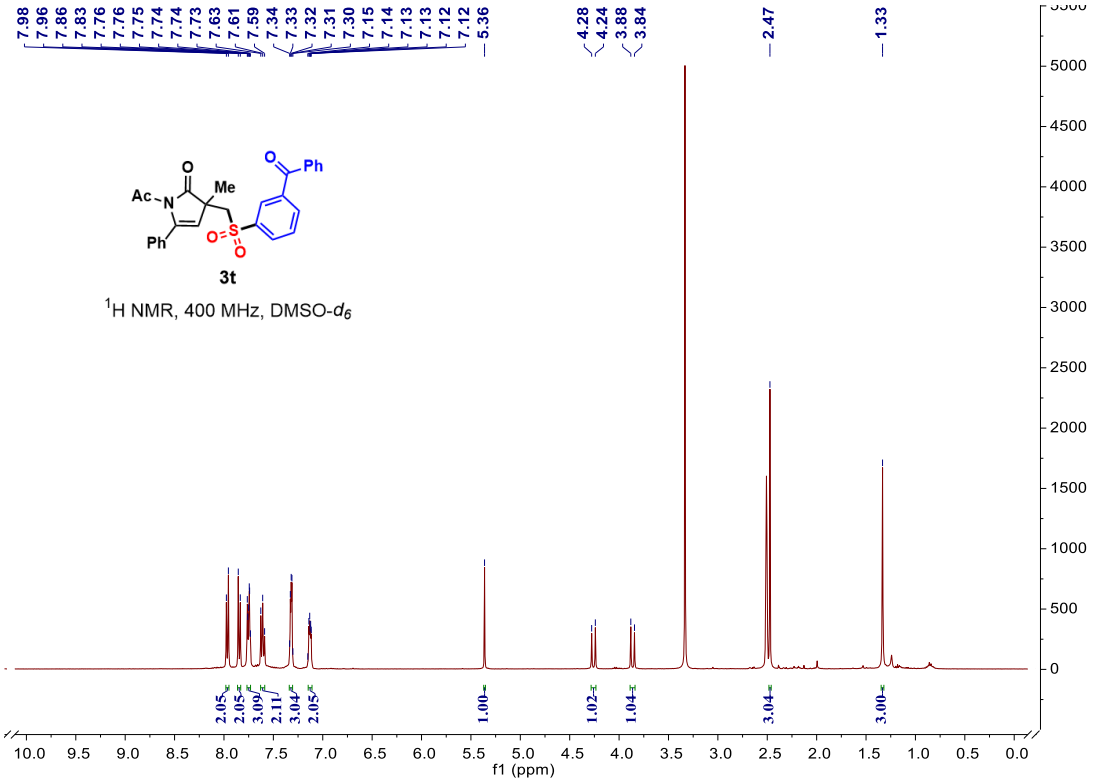


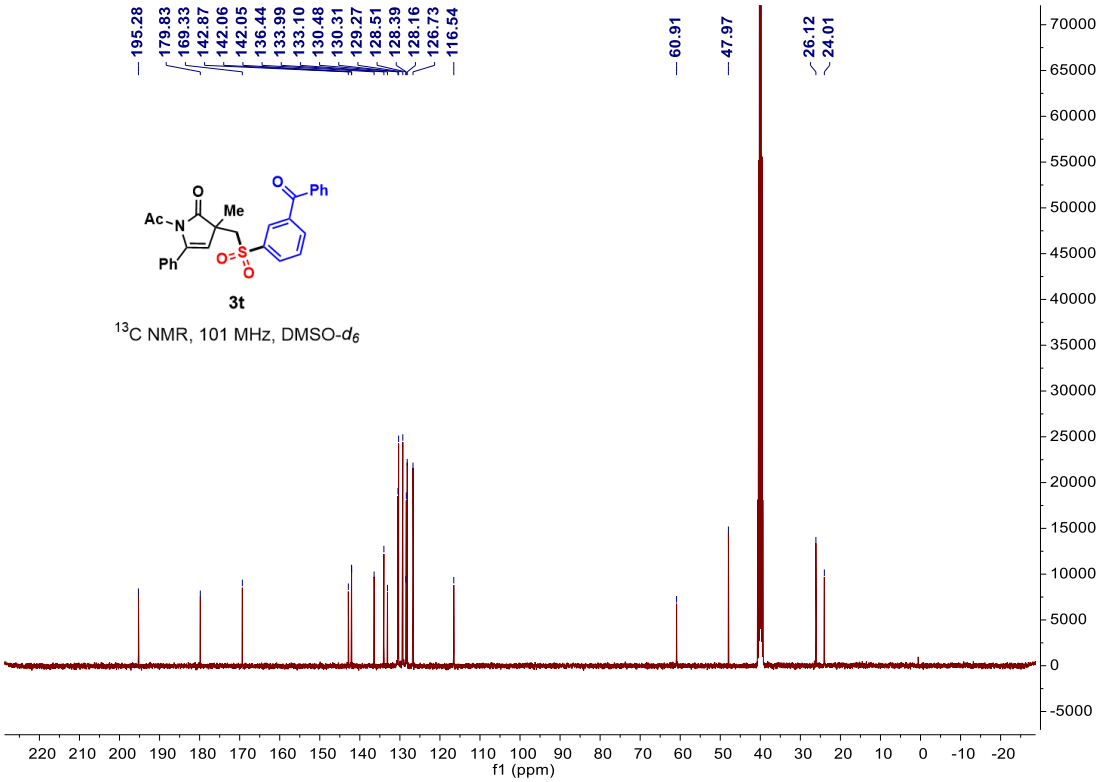
1-acetyl-3-(((4-benzoylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3s)



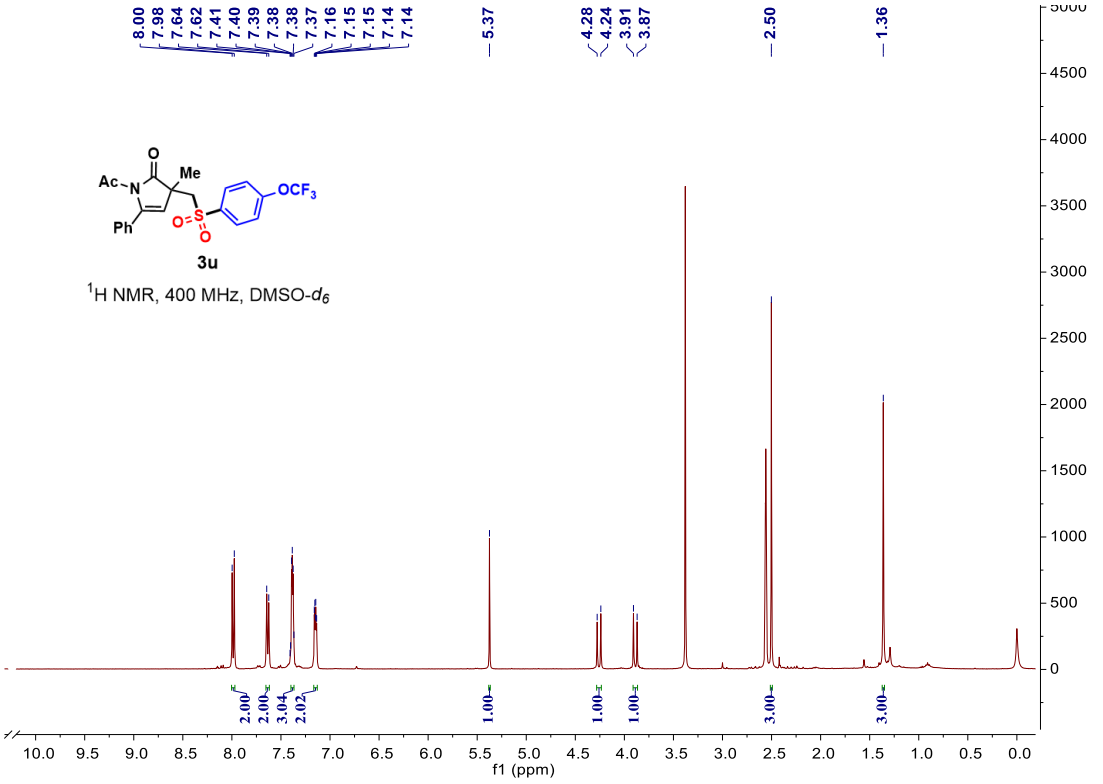


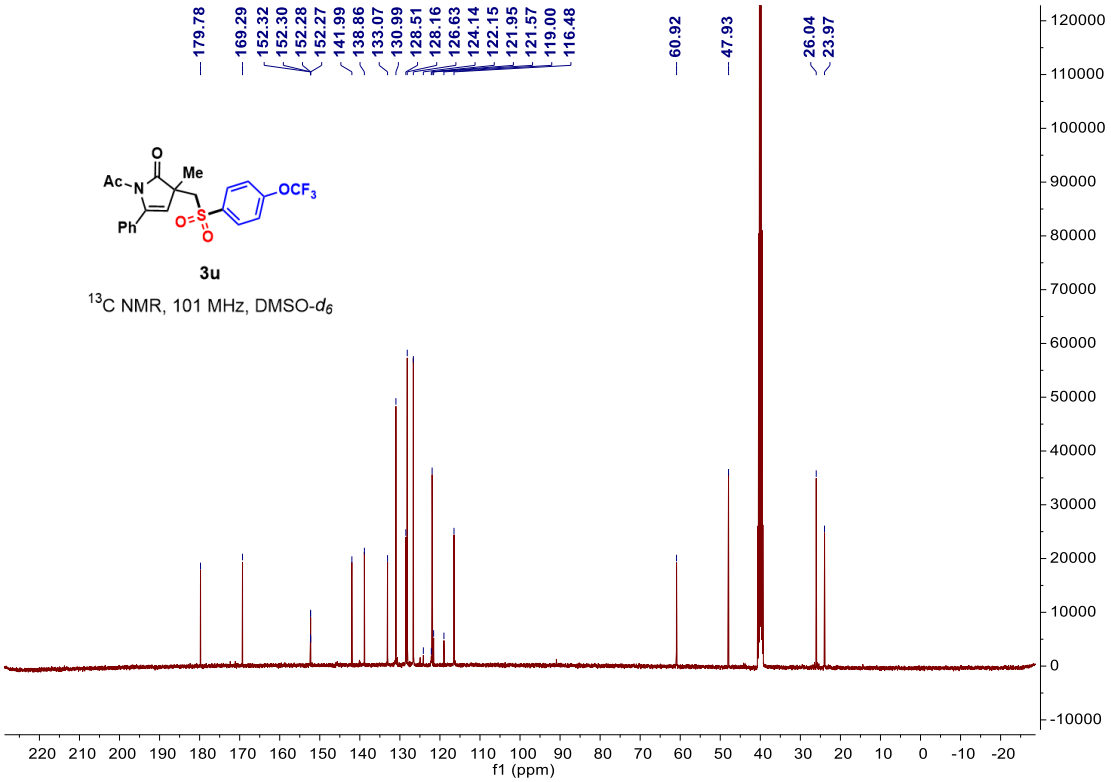
1-acetyl-3-(((3-benzoylphenyl)sulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3t)





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

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121.95
121.57
119.00
116.48
60.92
47.93
26.04
23.97
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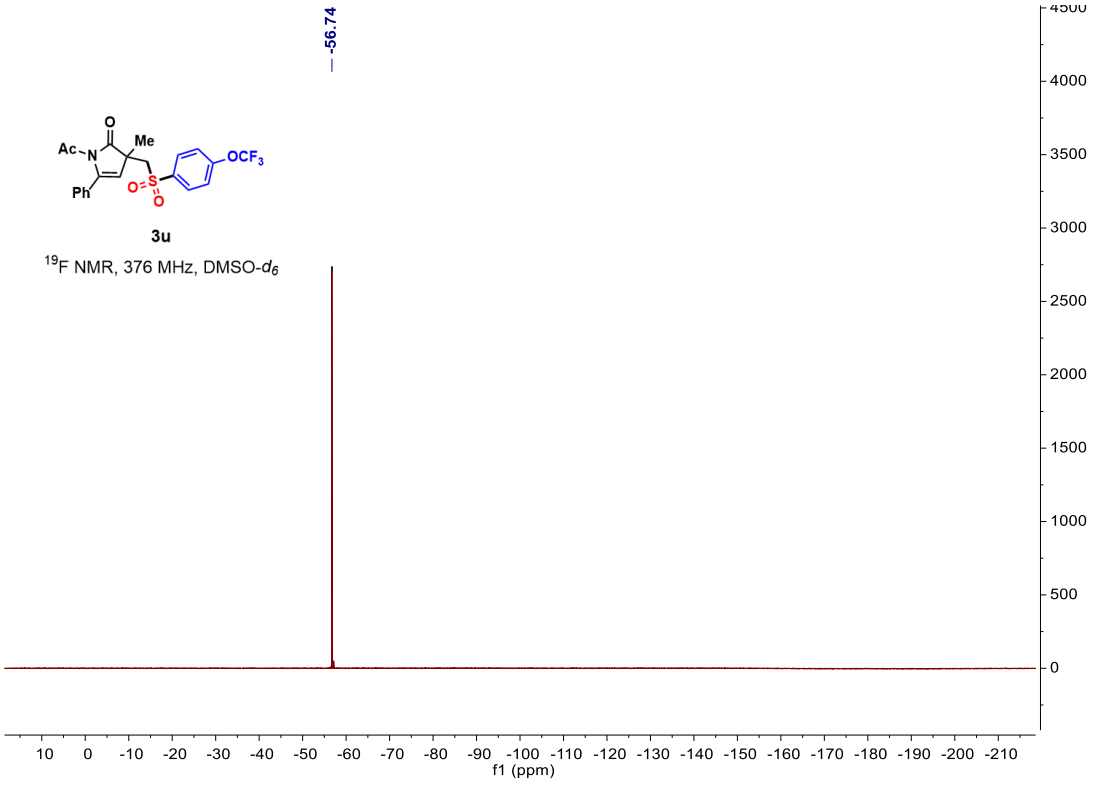
O
Me
Ph
O=S=O
OCF3
3u
13C NMR, 101 MHz, DMSO-d6
f1 (ppm)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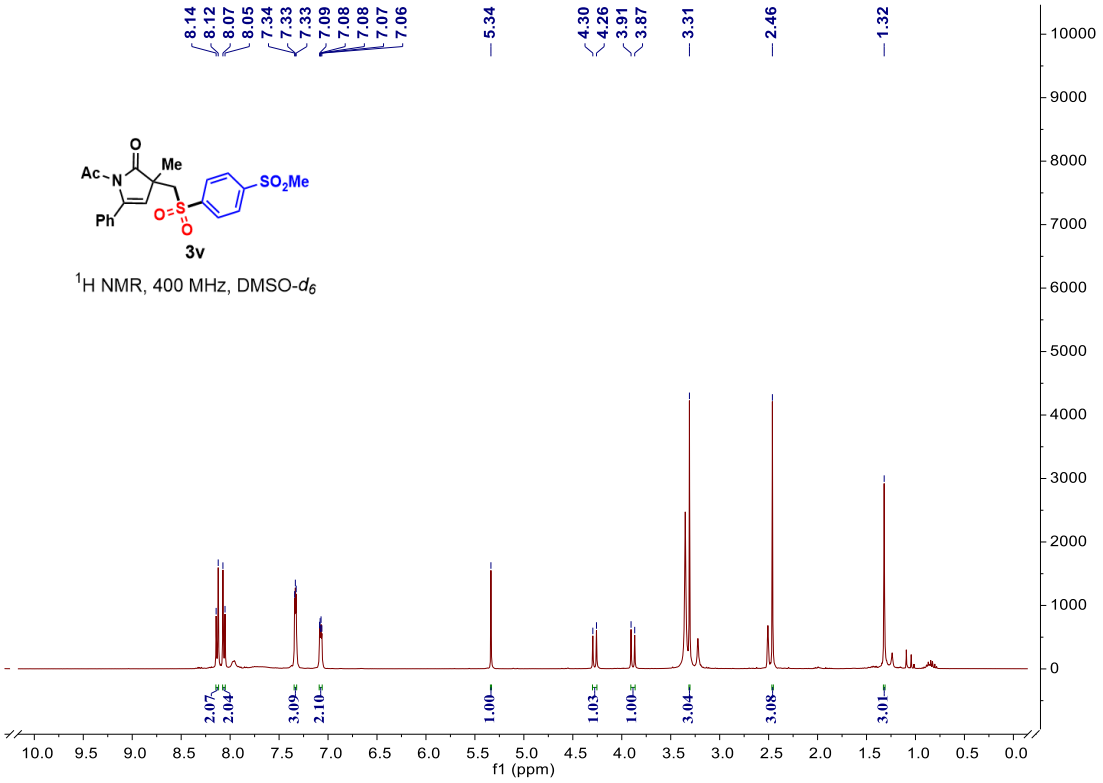
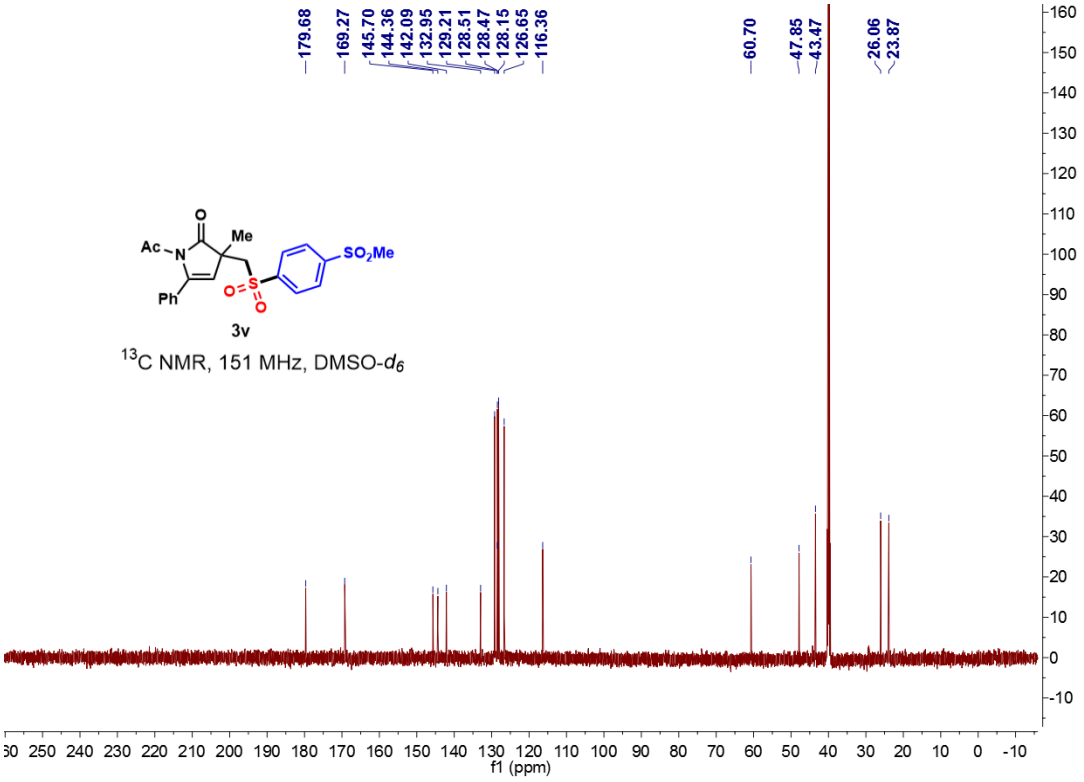
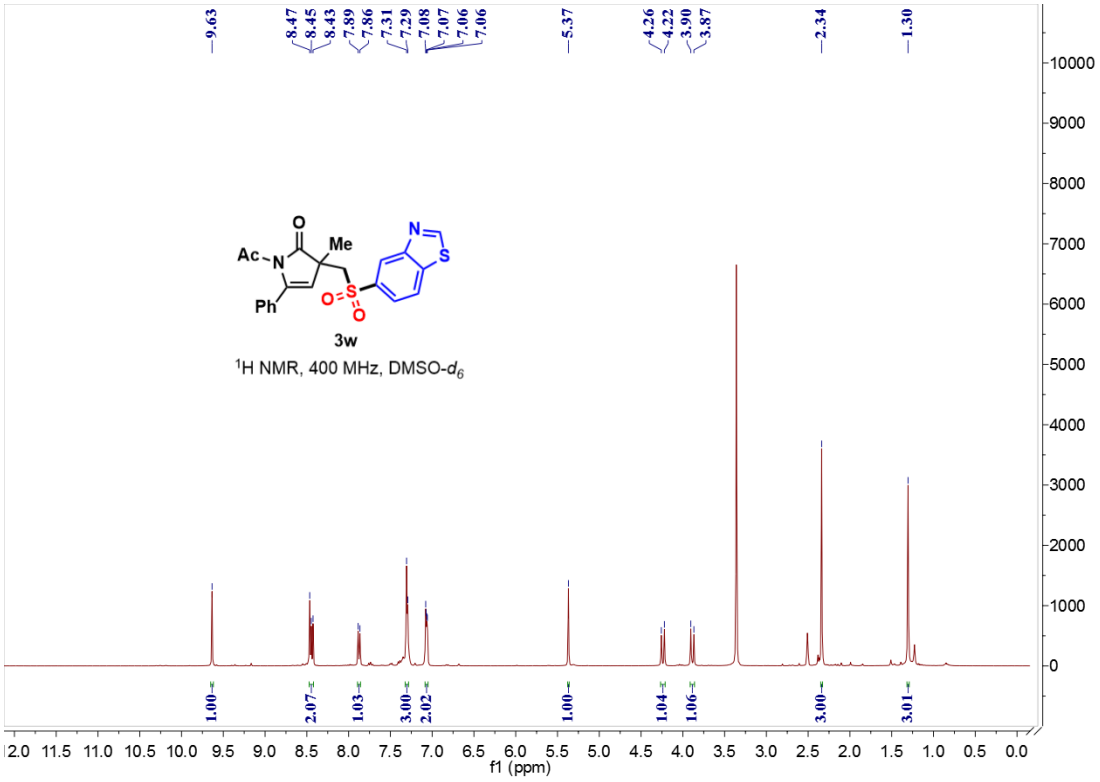
19F NMR, 376 MHz, DMSO-d6
f1 (ppm)

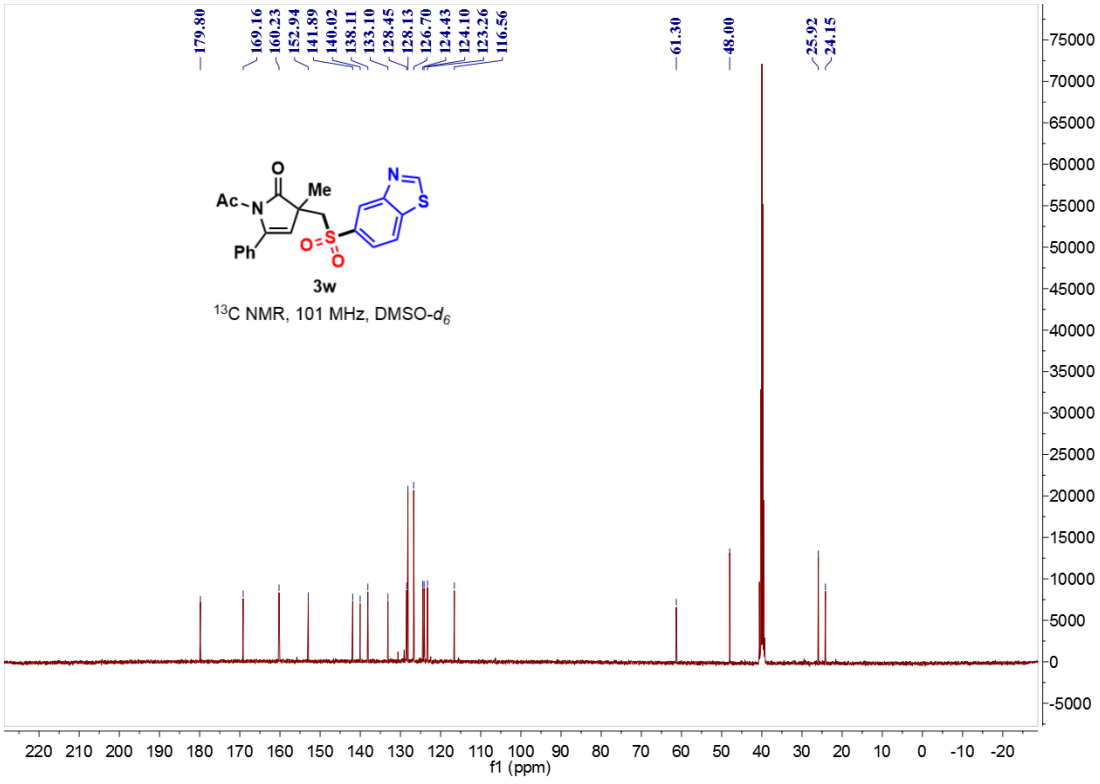
1-acetyl-3-methyl-3-(((4-(methylsulfonyl)phenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3v)



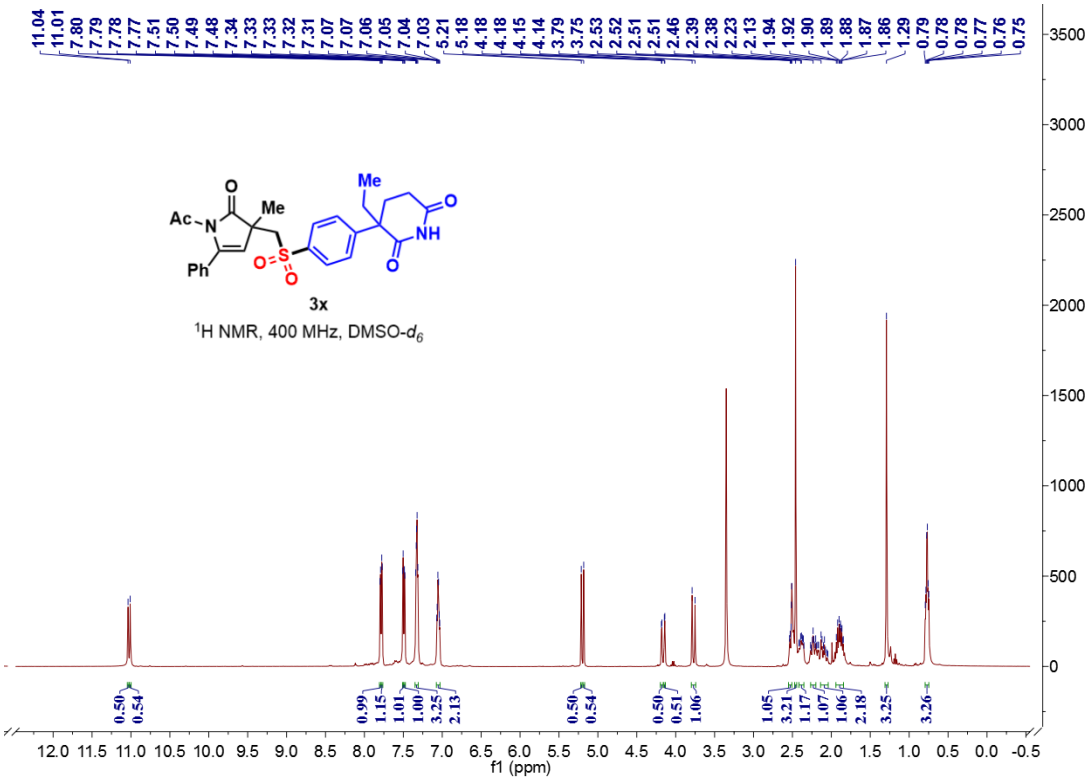


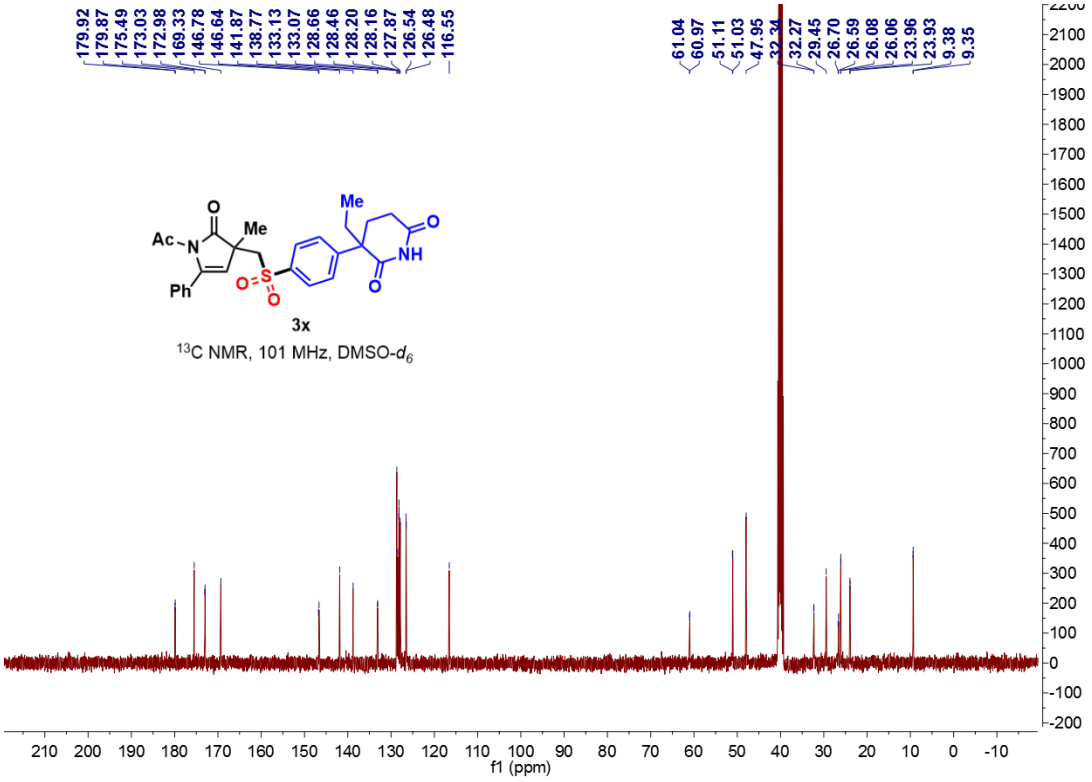
1-acetyl-3-((benzo[d]thiazol-5-ylsulfonyl)methyl)-3-methyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3w)



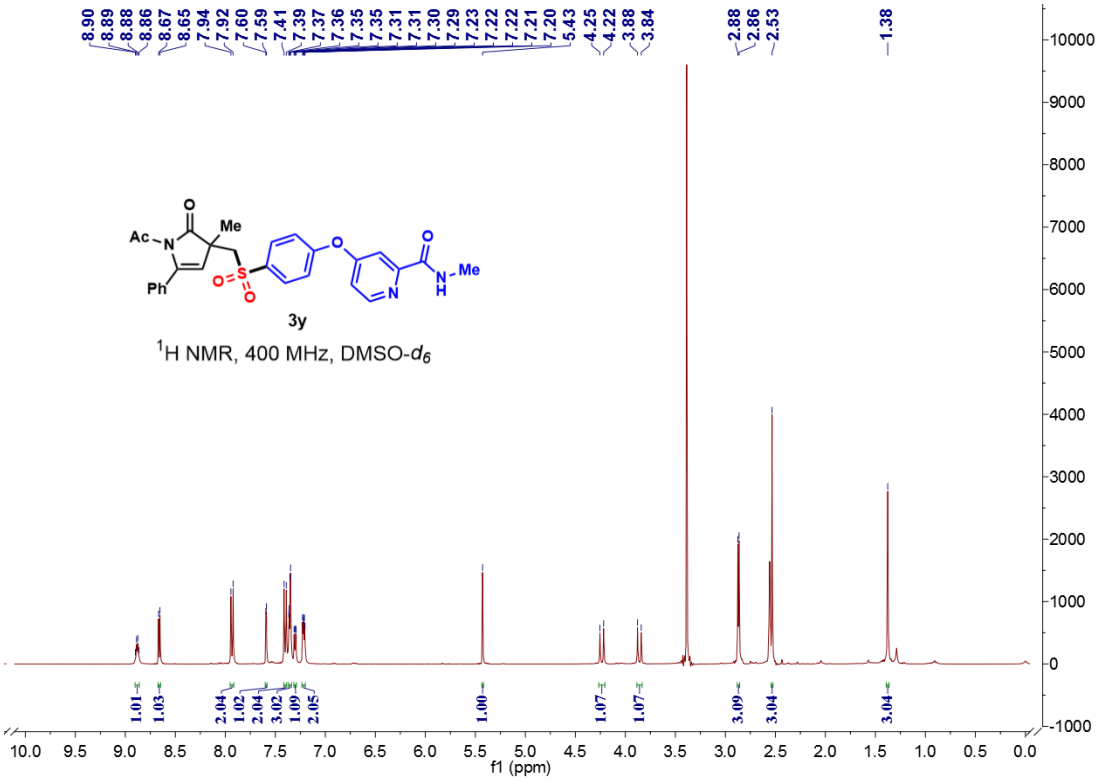


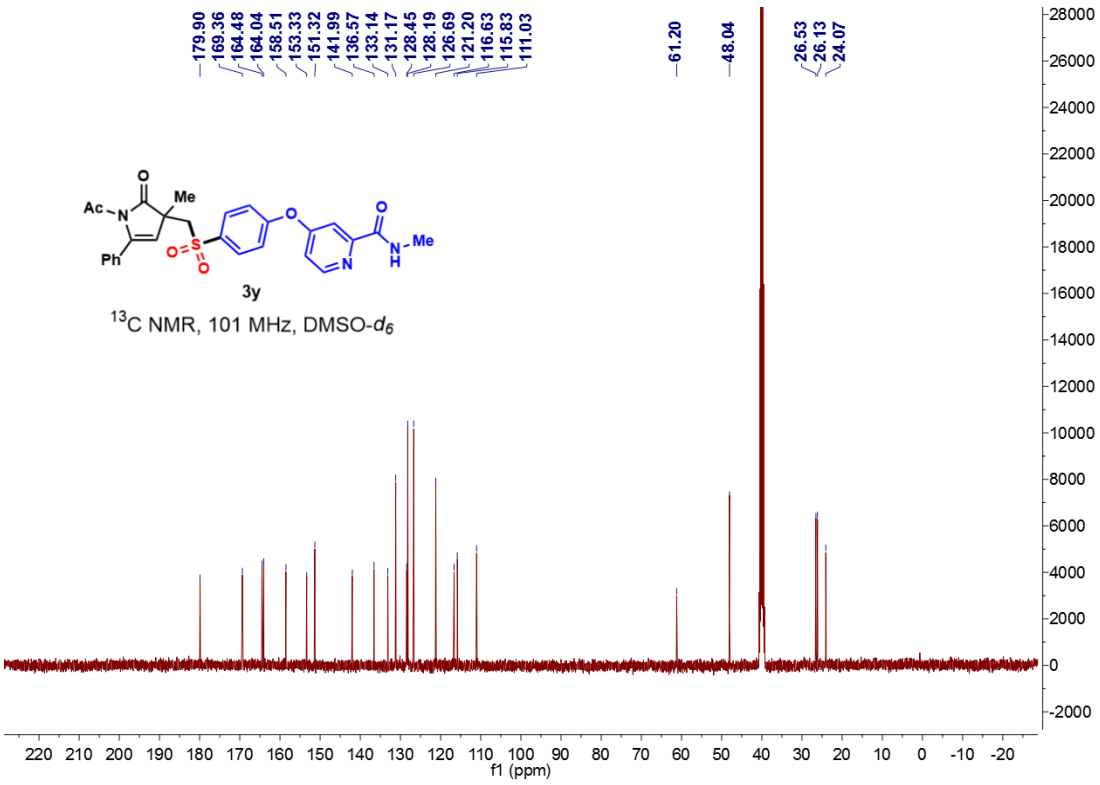
3-(4-(((1-acetyl-3-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-pyrrol-3-yl)methyl)sulfonyl)phenyl)-3-ethylpiperidine-2,6-dione (3x, dr = 1:1)



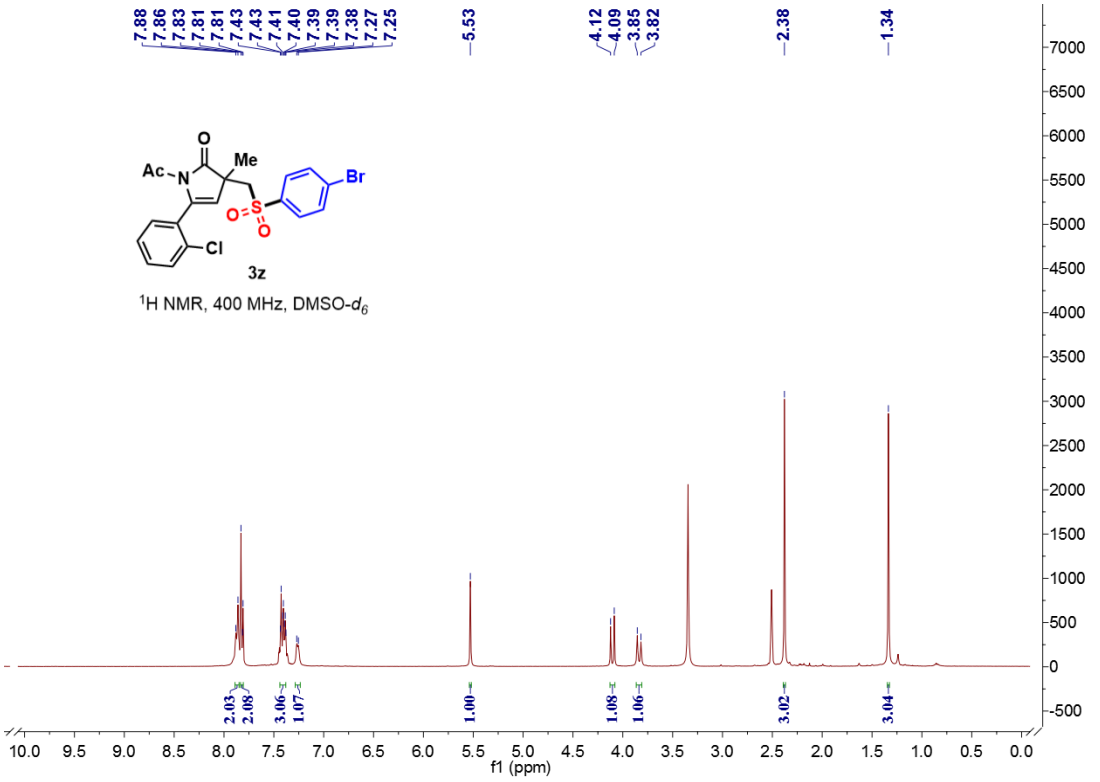


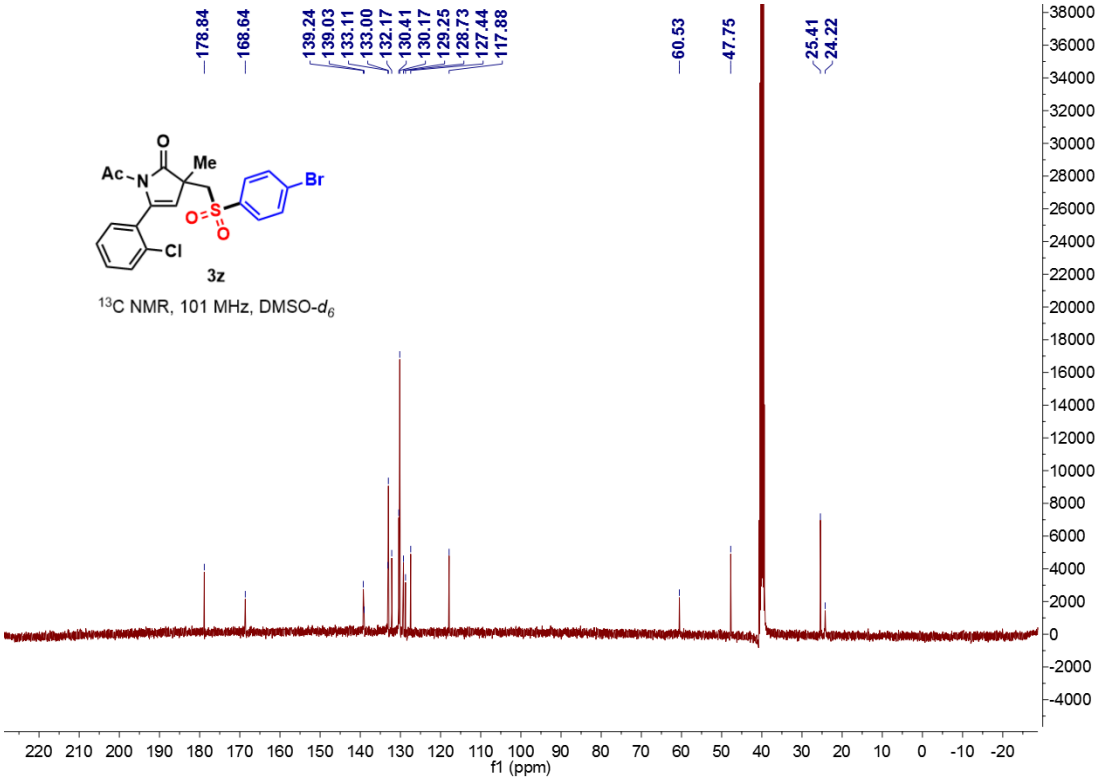
4-(4-(((1-acetyl-3-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-pyrrol-3-yl)methyl)sulfonyl)phenoxy)-N-methylpicolinamide (3y)



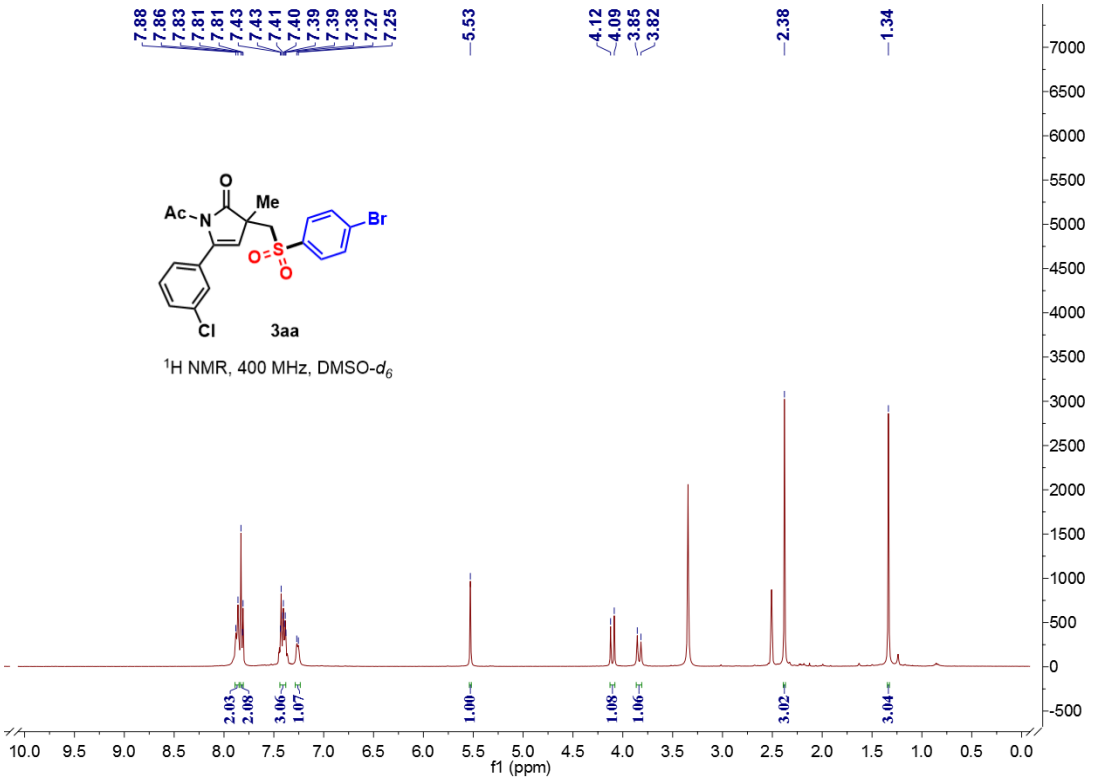


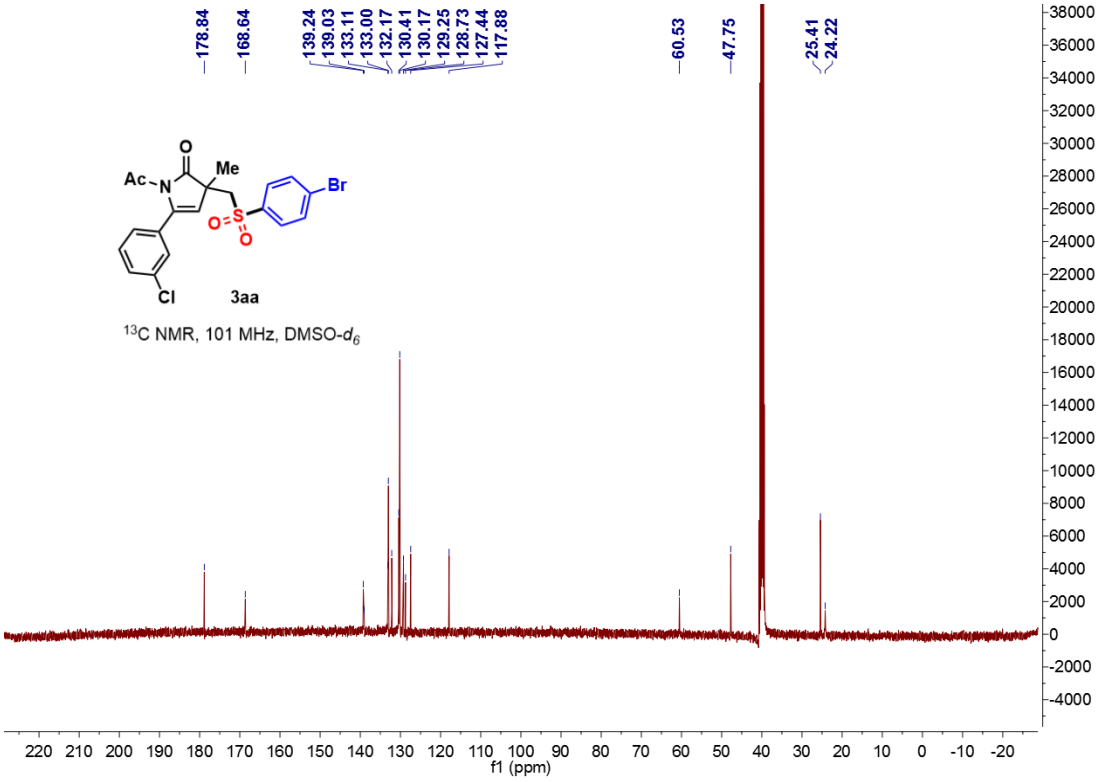
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(2-chlorophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3z)



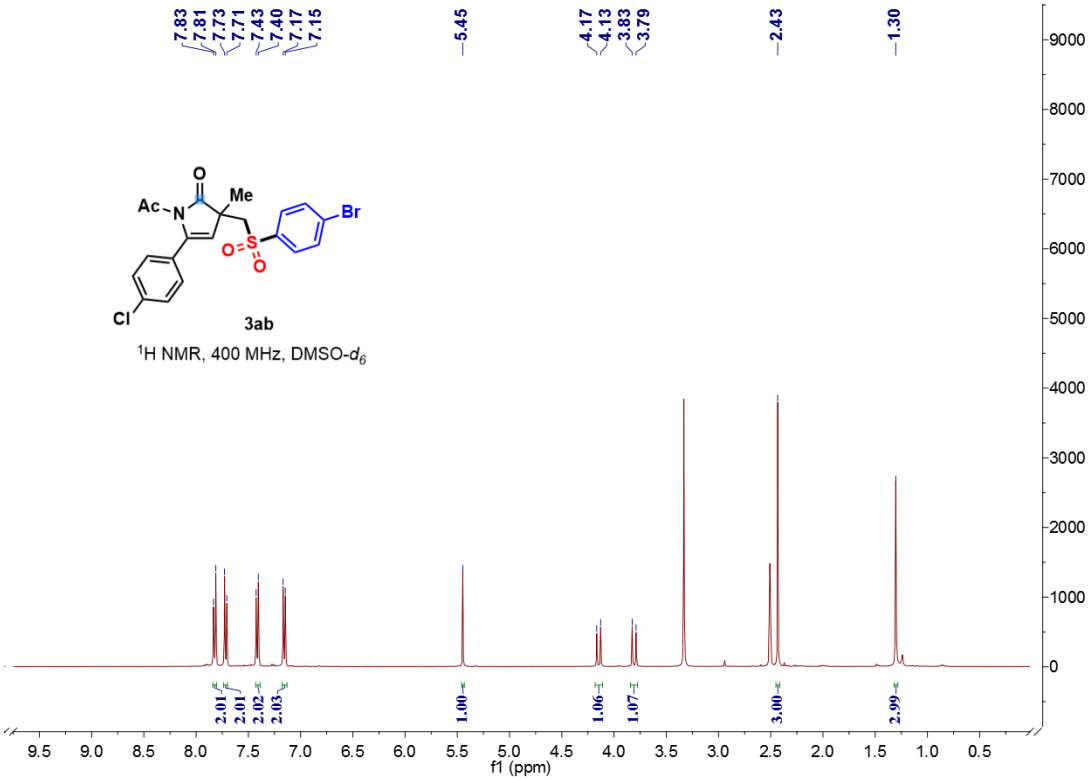


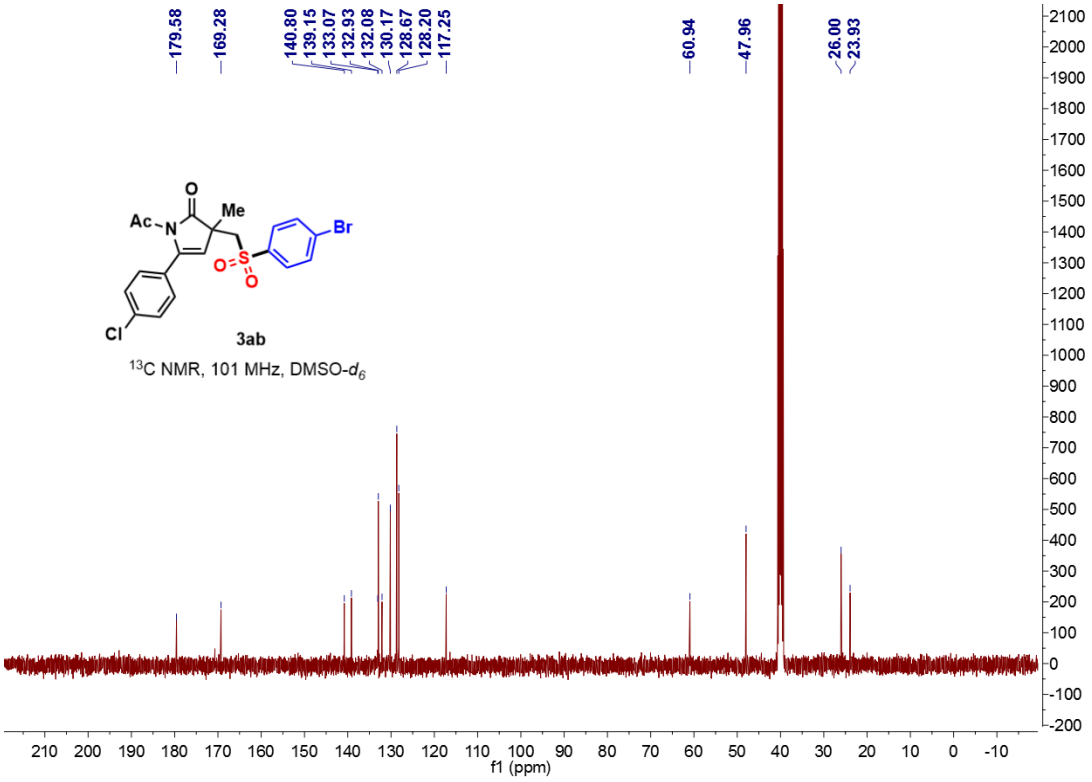
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(3-chlorophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3aa)



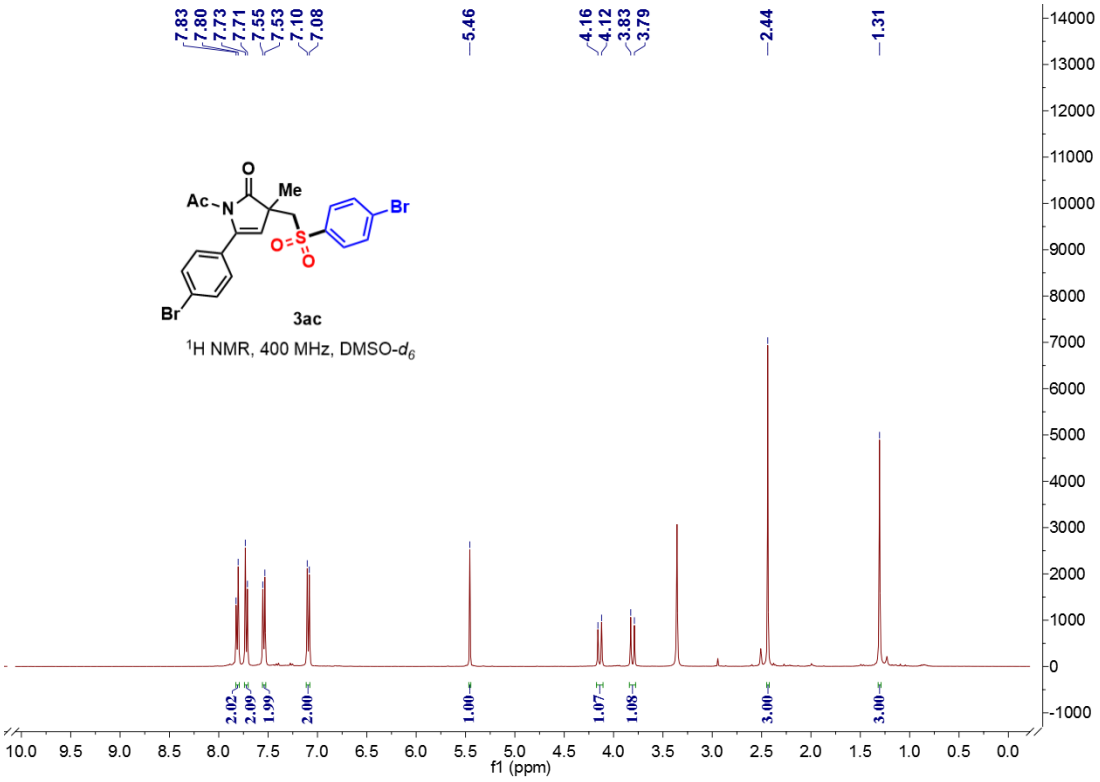


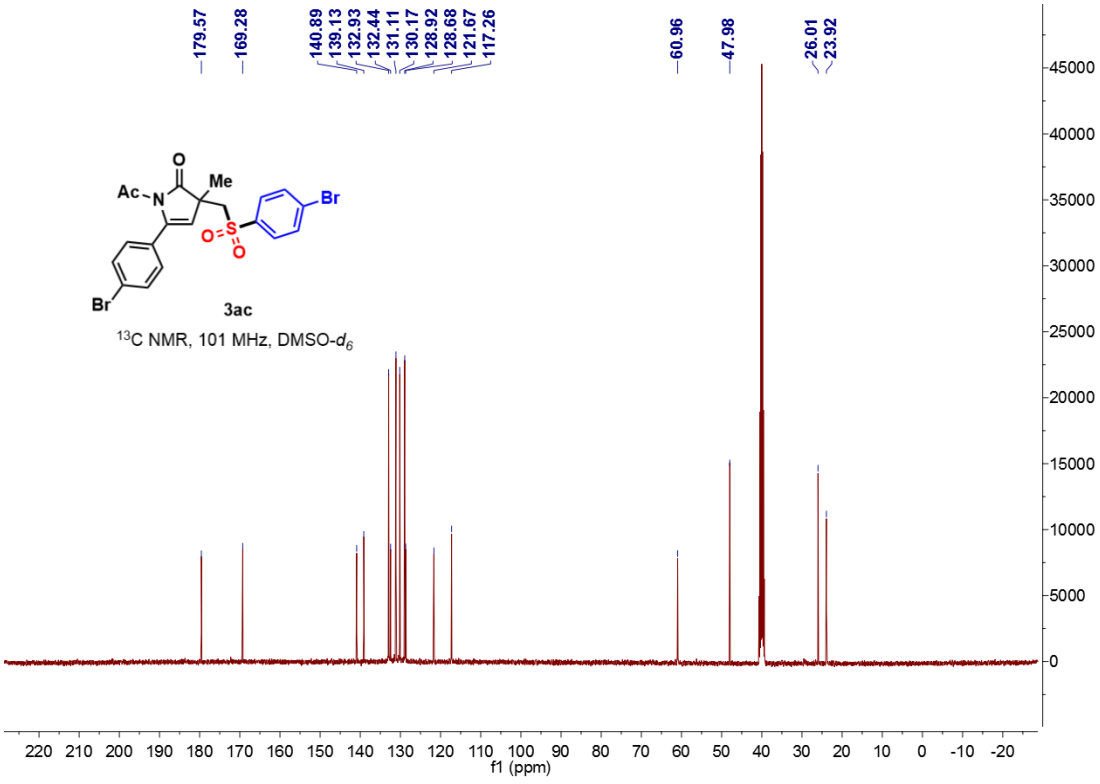
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-chlorophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3ab)



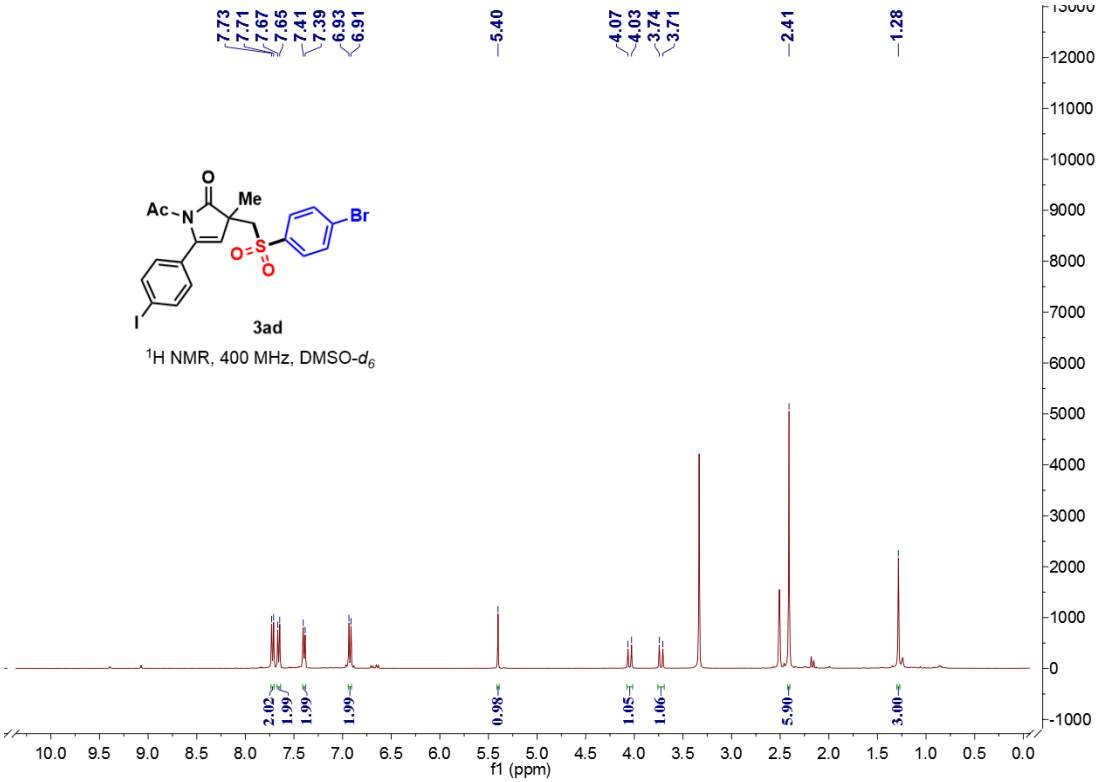


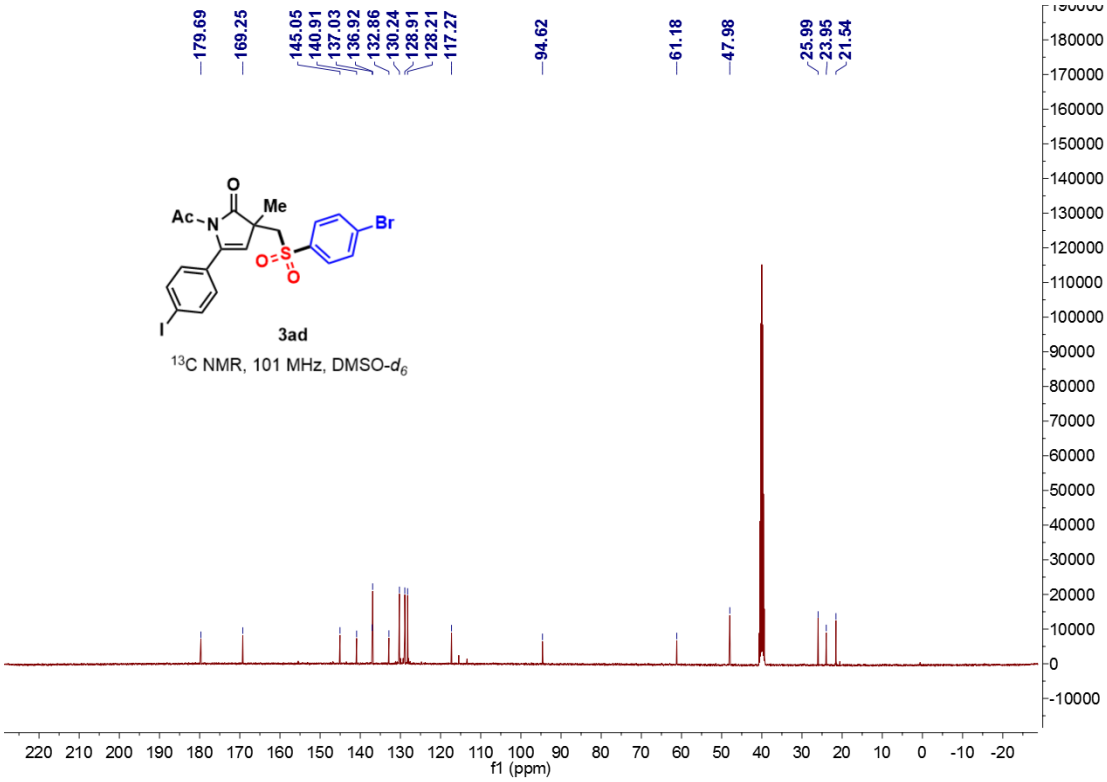
1-acetyl-5-(4-bromophenyl)-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3ac)



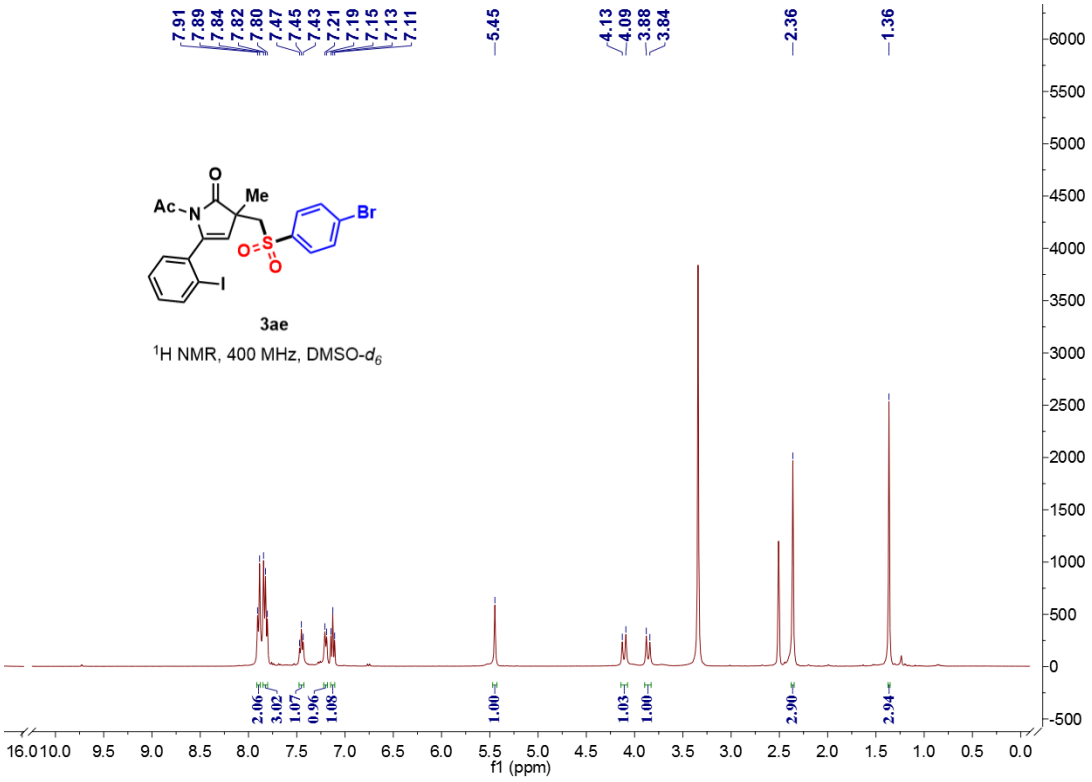


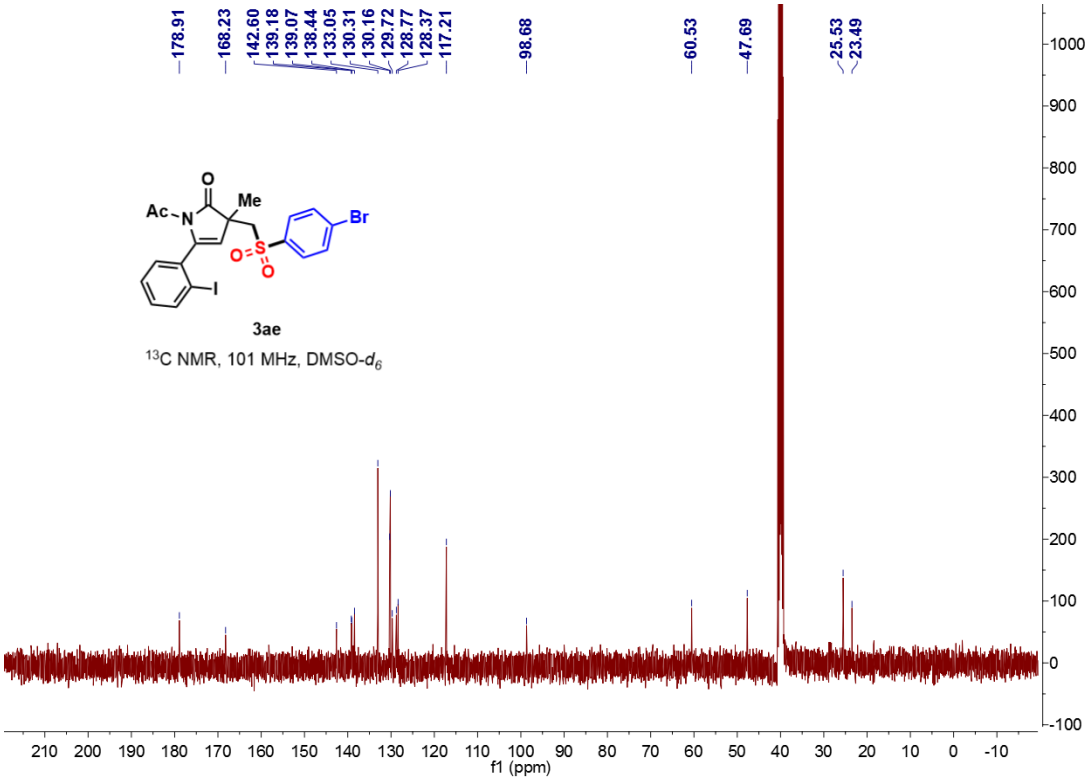
1-acetyl-5-(4-iodophenyl)-3-methyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3ad)



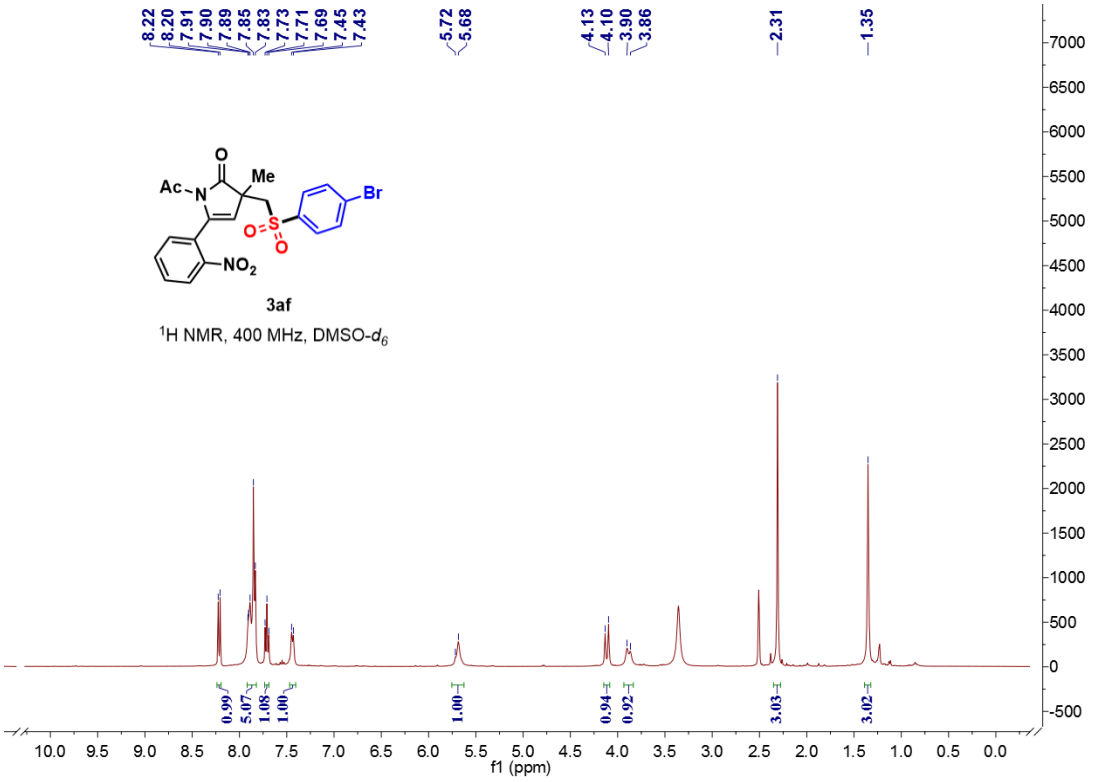


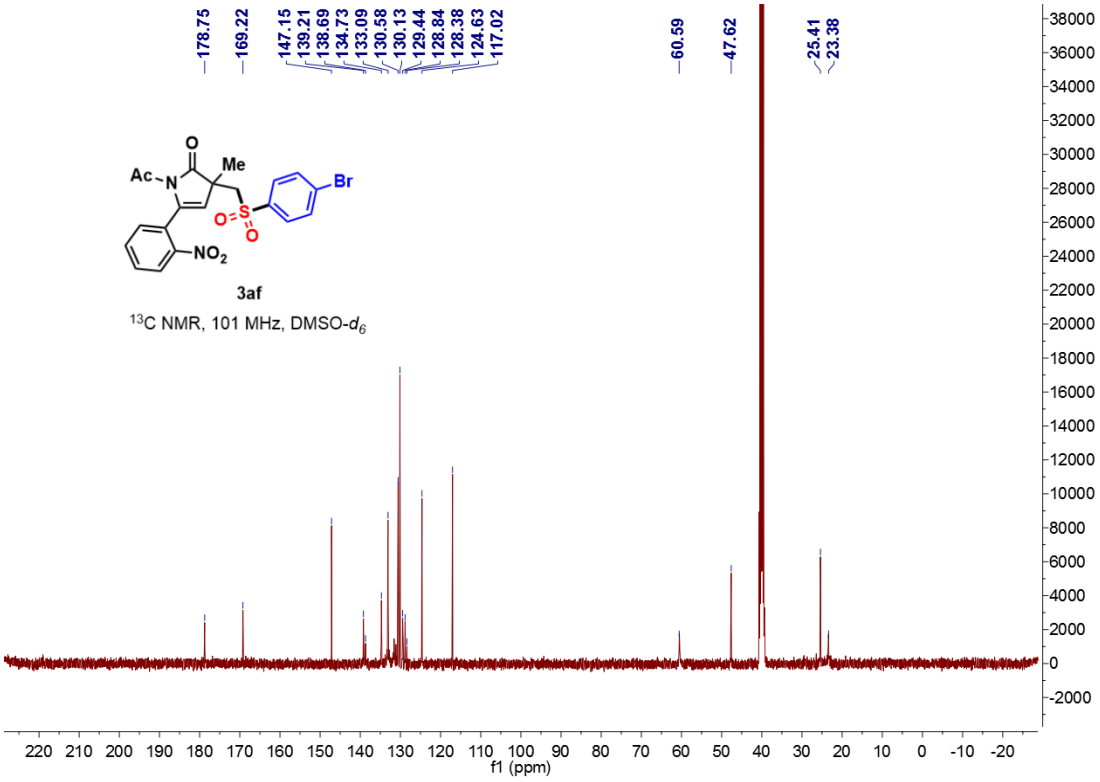
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(2-iodophenyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one (3ae)



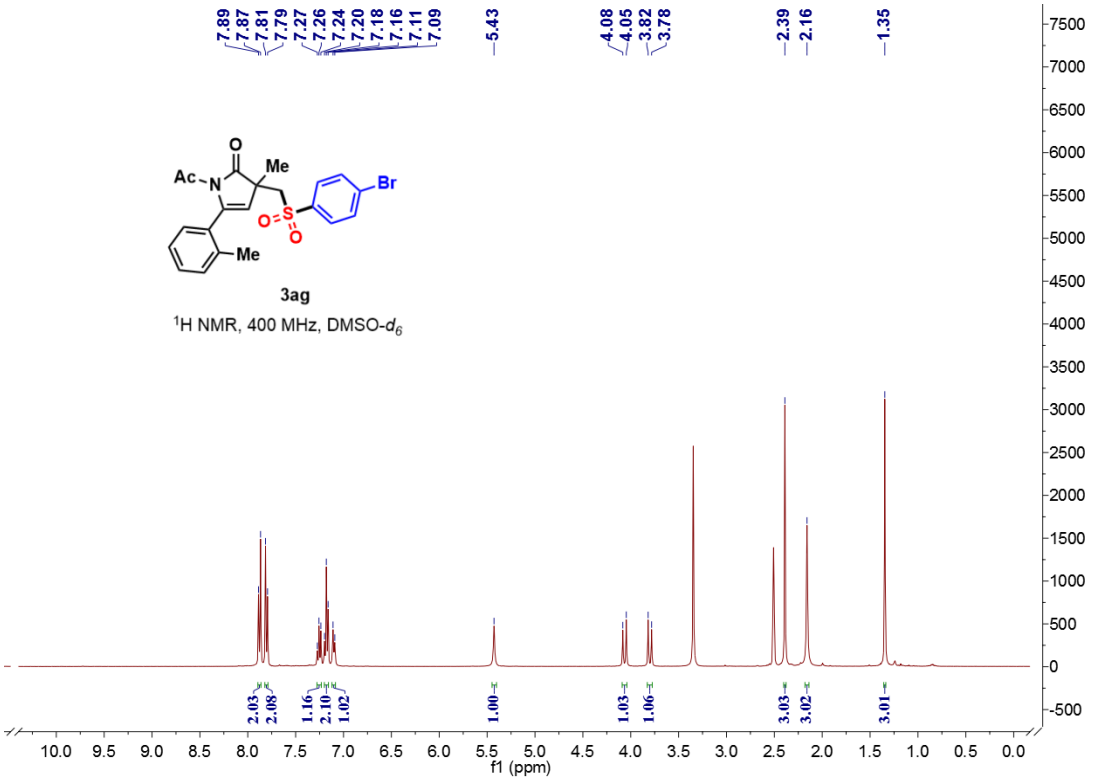


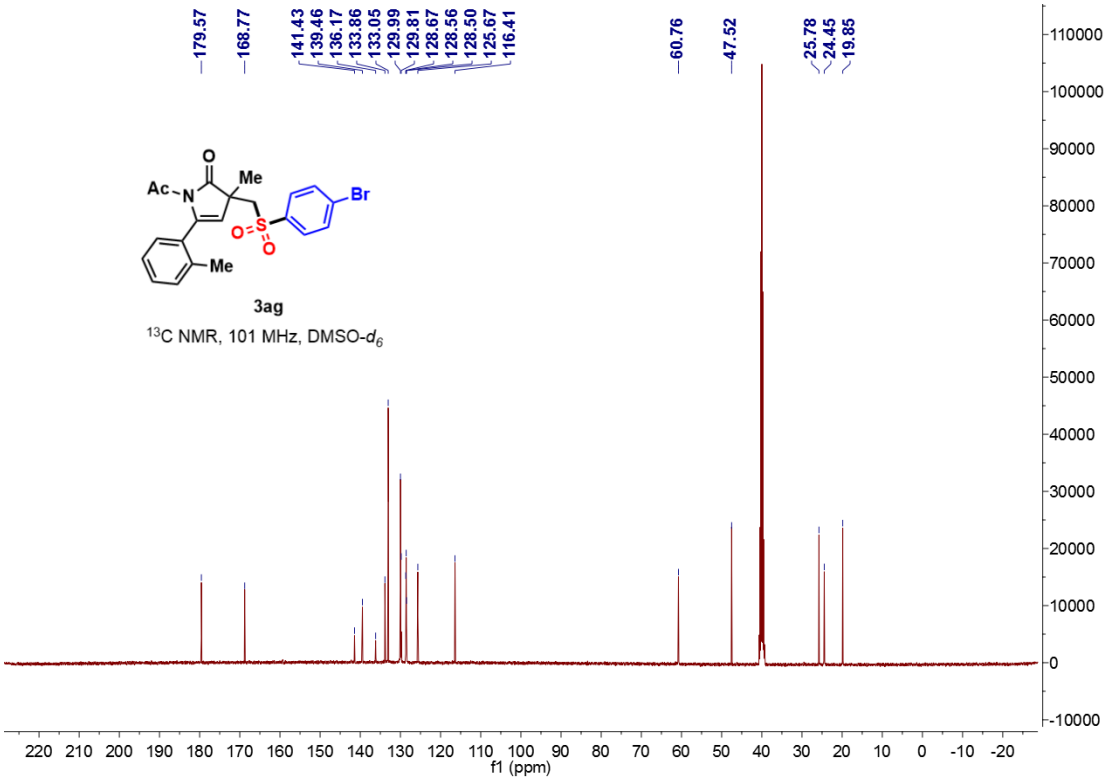
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-(2-nitrophenyl)-1,3-dihydro-2H-pyrrol-2-one (3af)



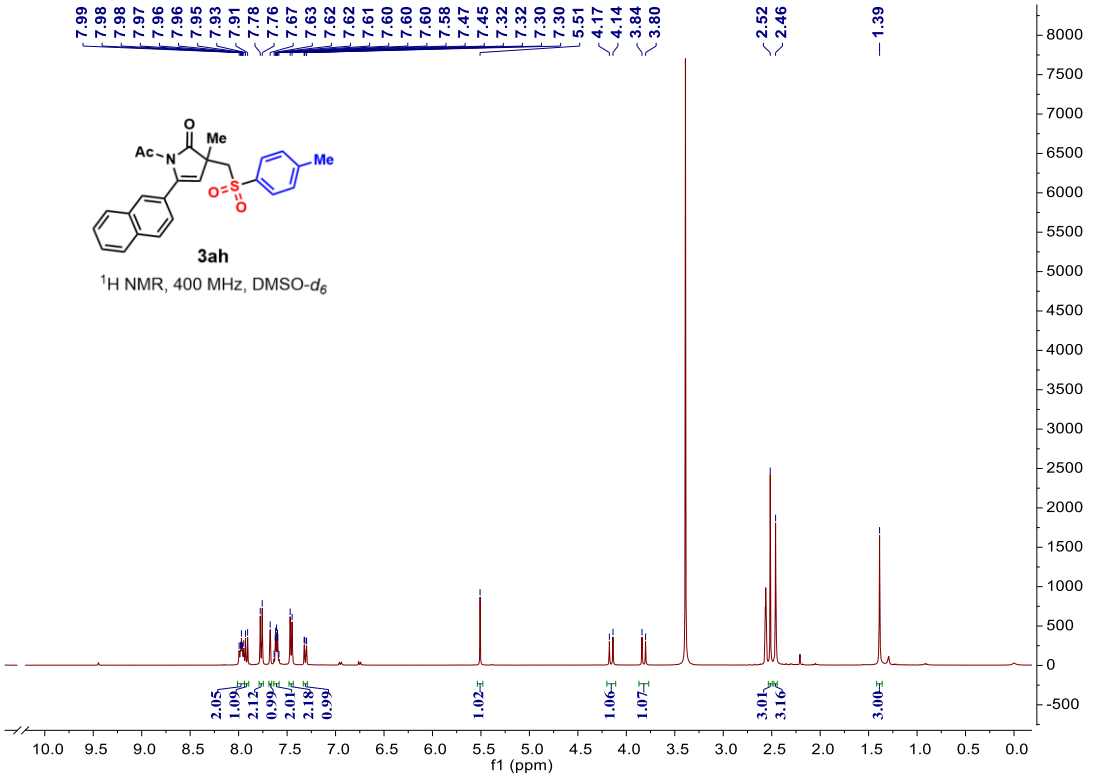


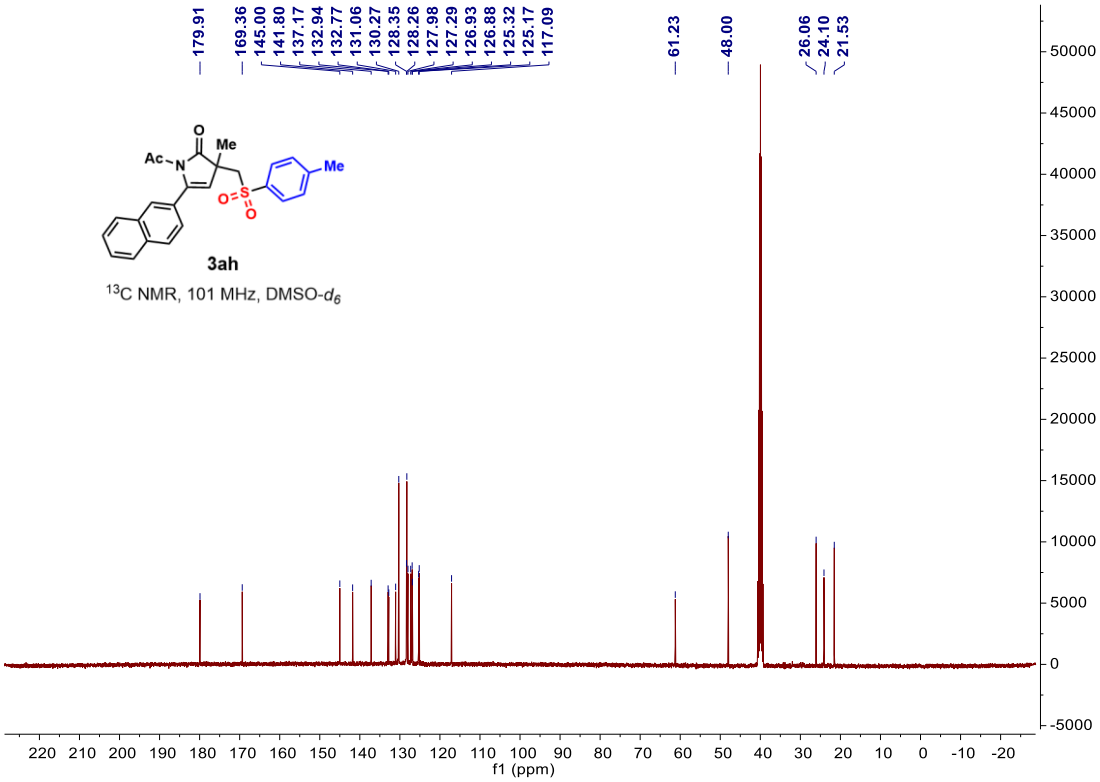
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-(o-tolyl)-1,3-dihydro-2H-pyrrol-2-one (3ag)



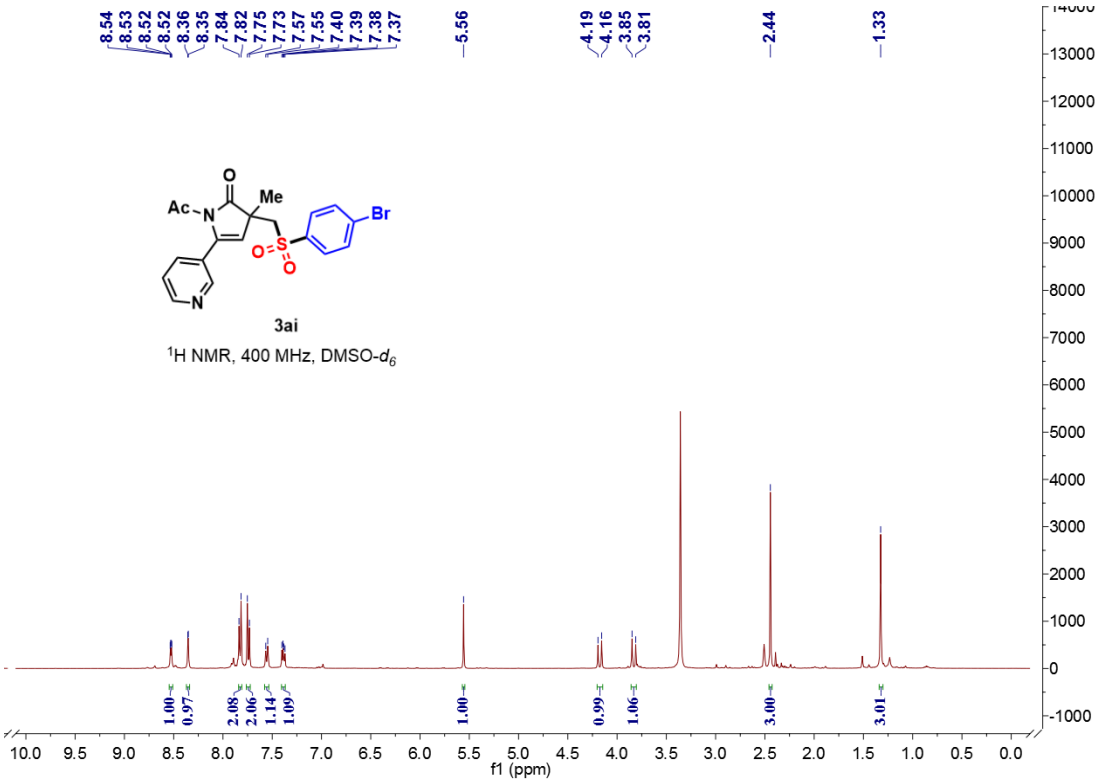


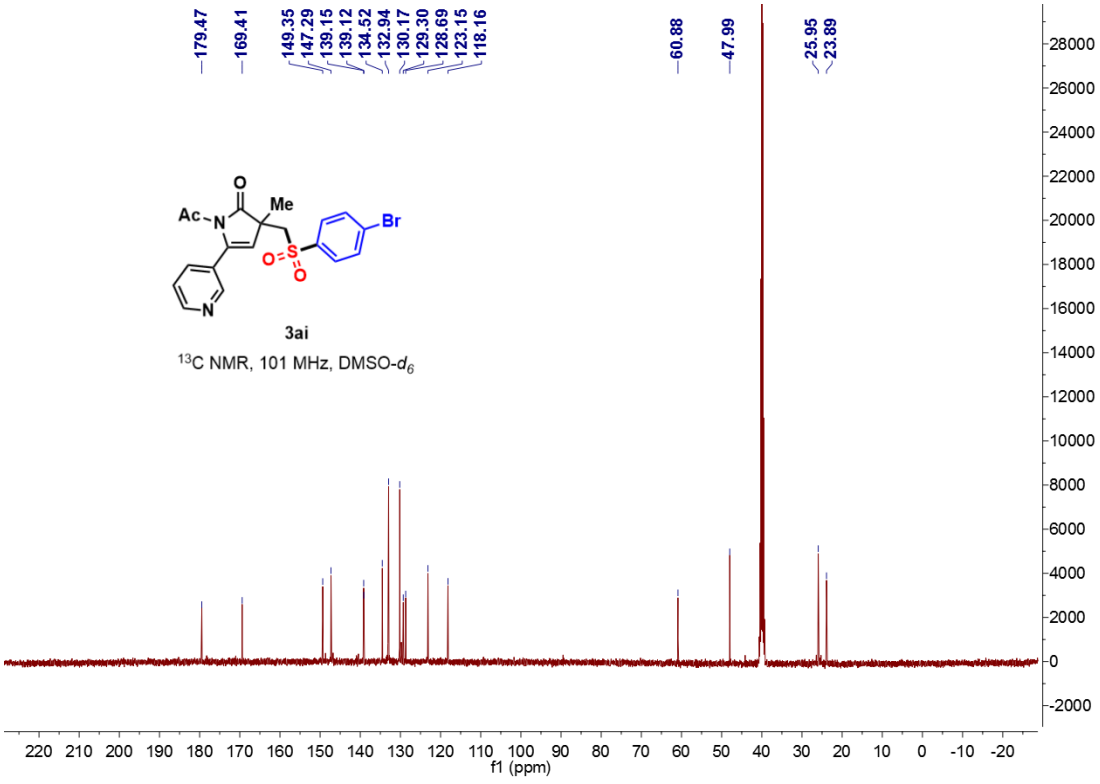
1-acetyl-3-methyl-5-(naphthalen-2-yl)-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3ah)



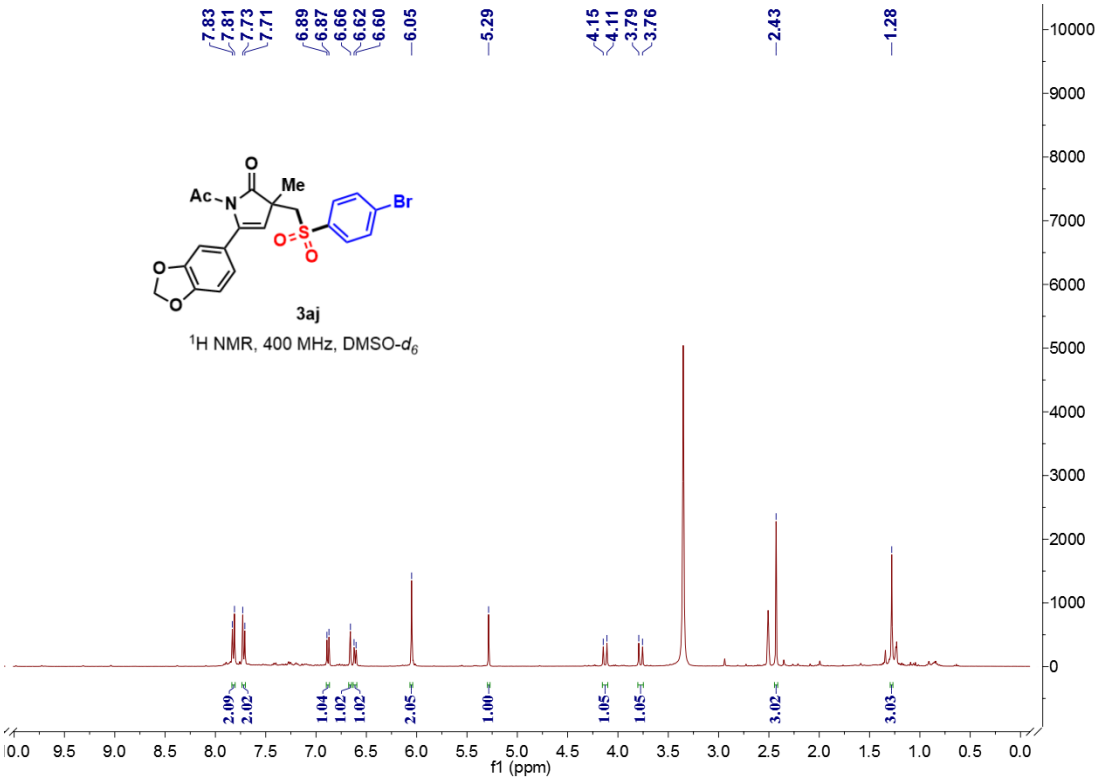


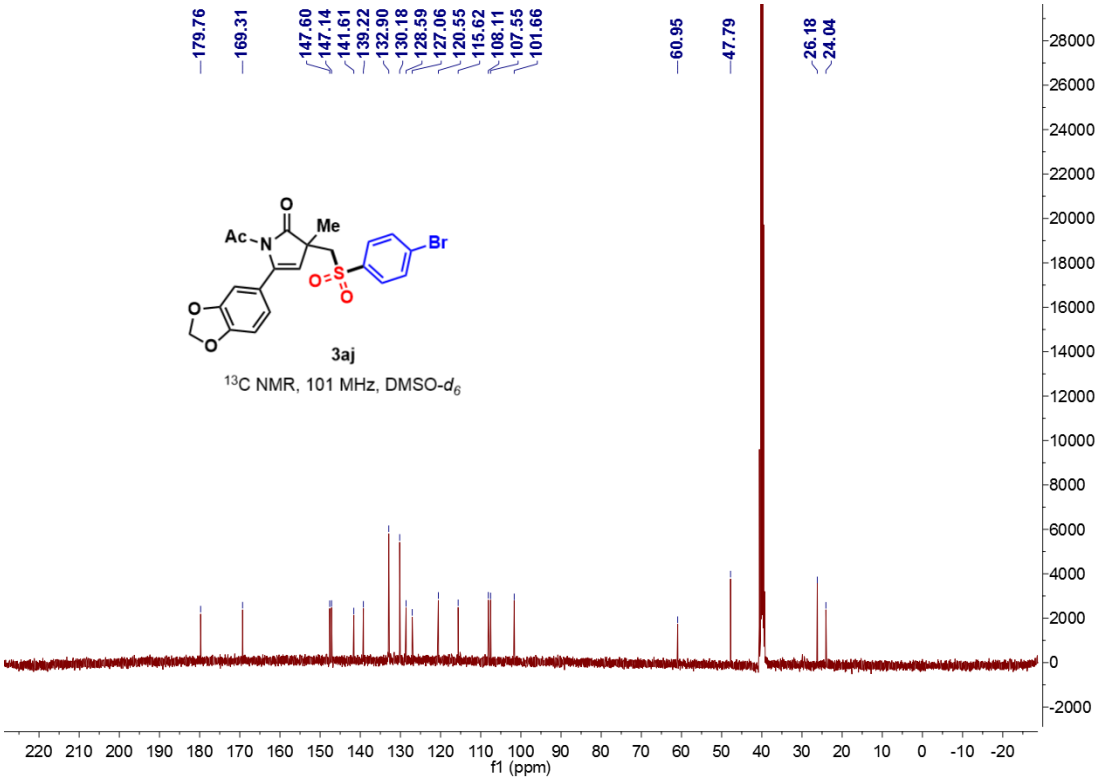
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-5-(pyridin-3-yl)-1,3-dihydro-2H-pyrrol-2-one (3ai)



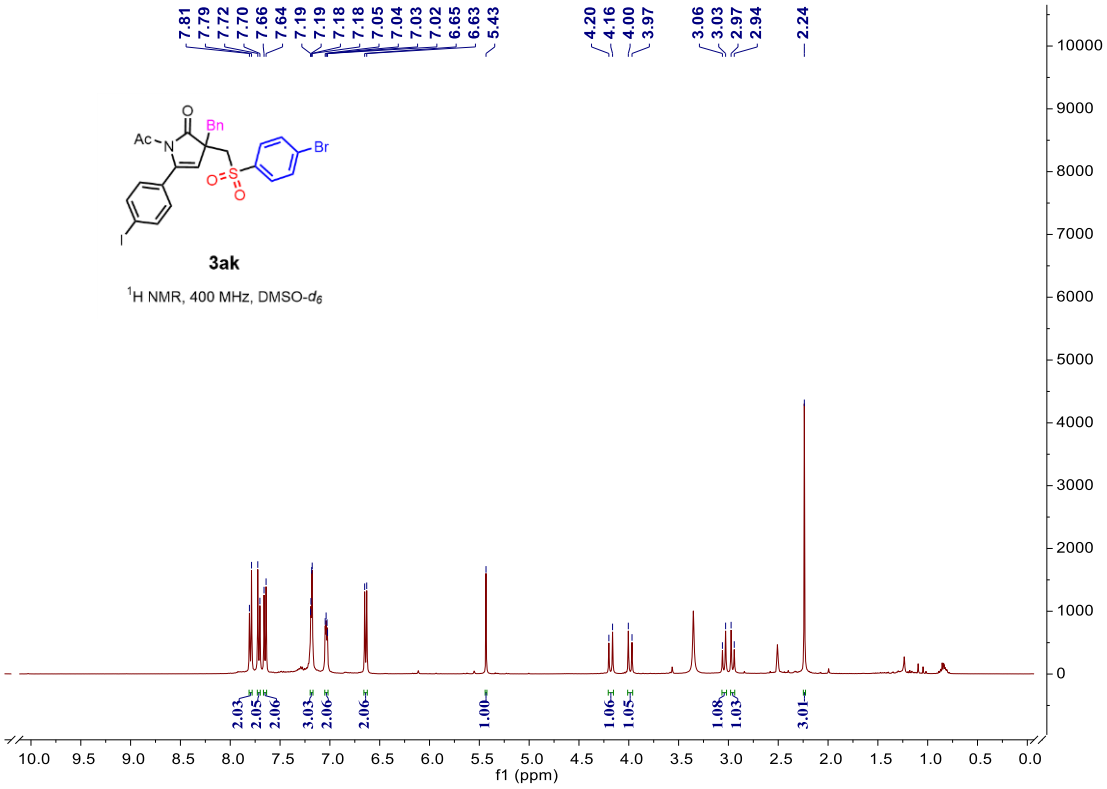


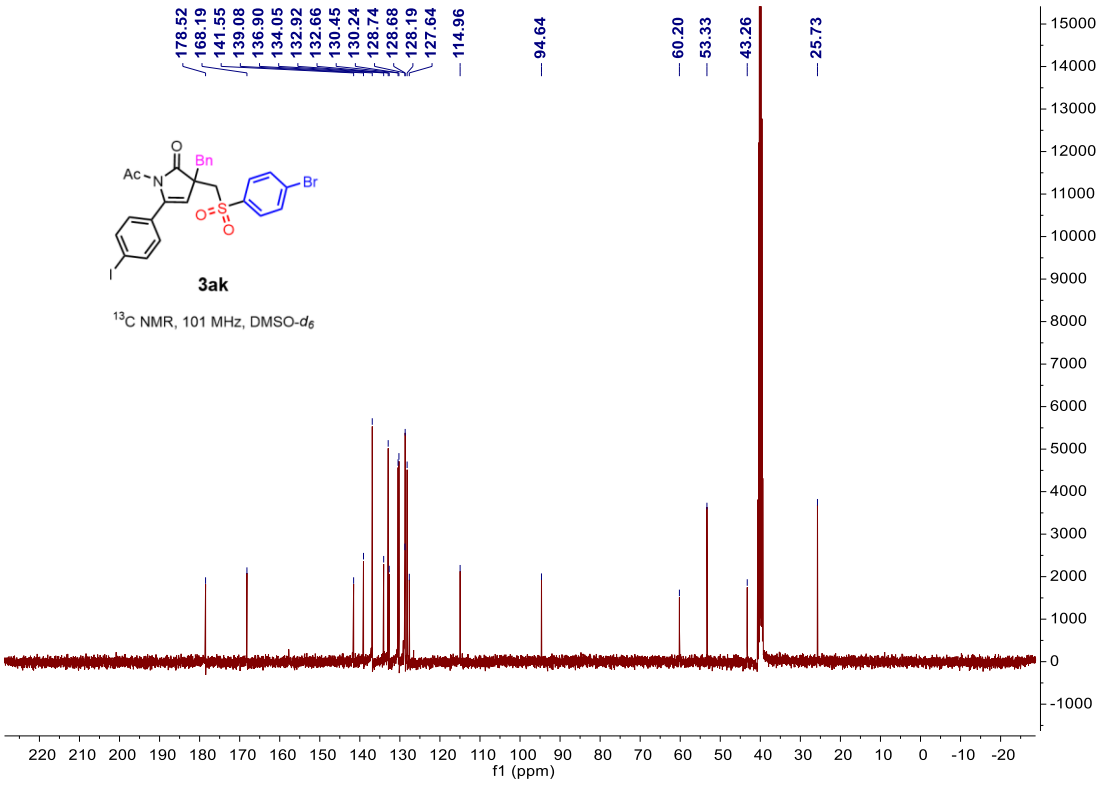
1-acetyl-5-(benzo[d][1,3]dioxol-5-yl)-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3-dihydro-2H-pyrrol-2-one(3aj)



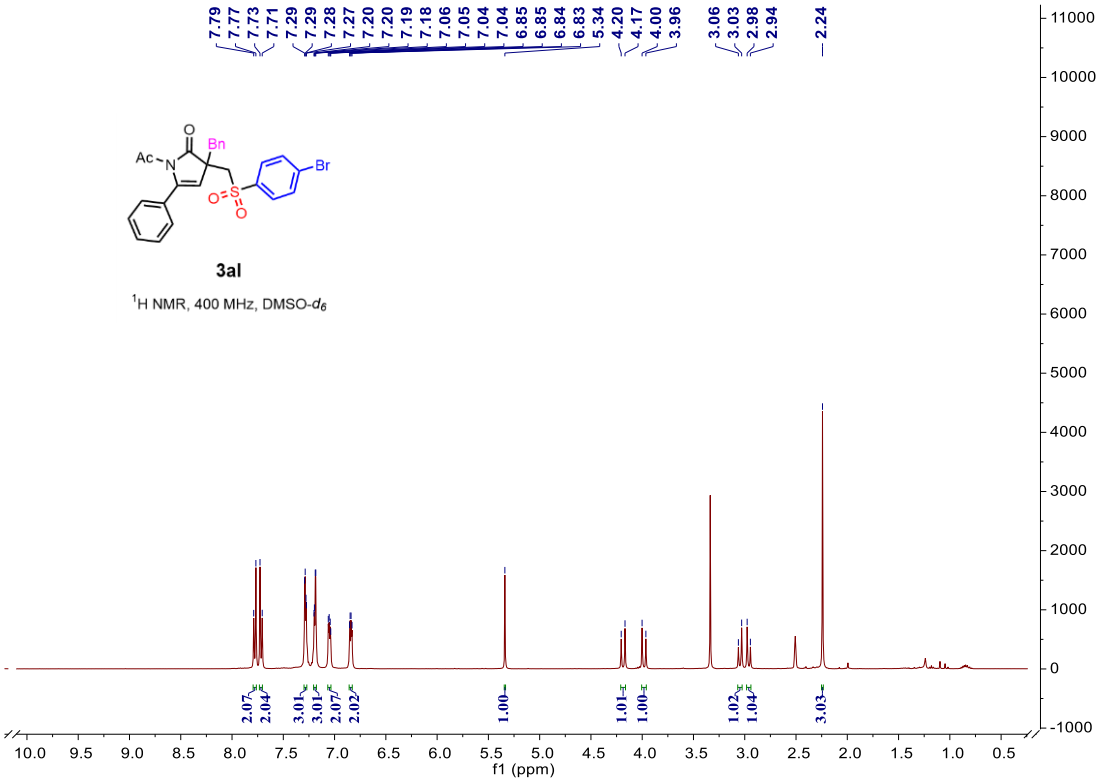


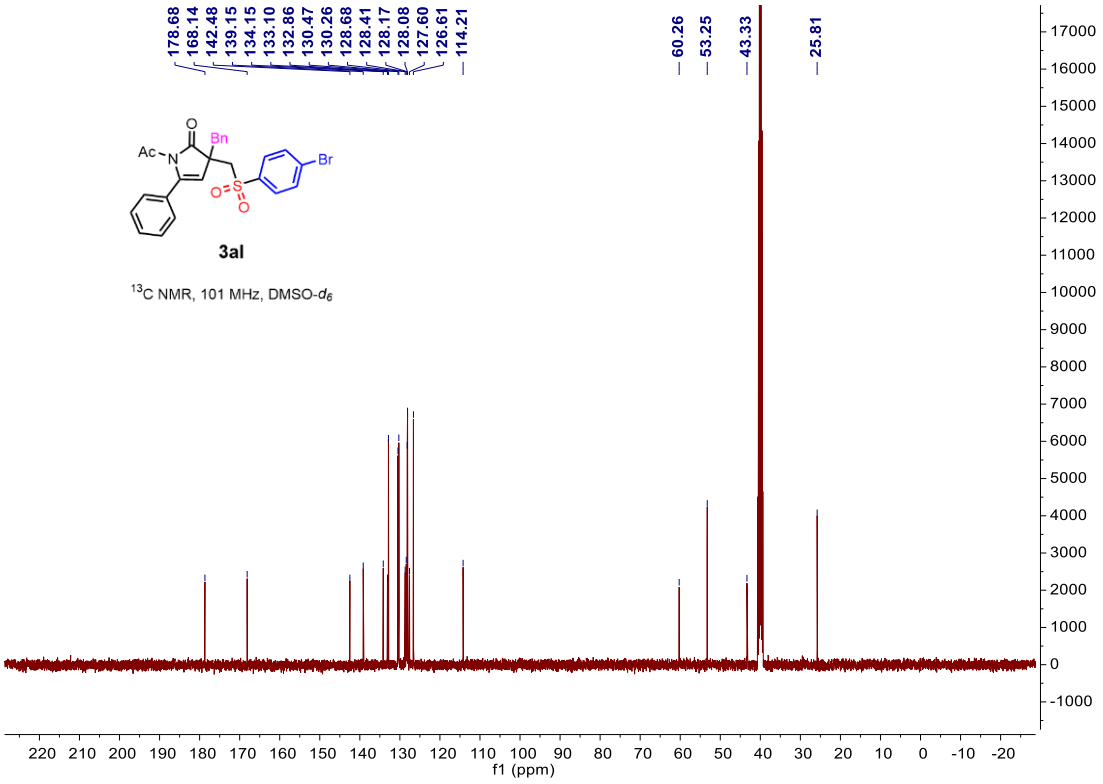
1-acetyl-3-benzyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-iodophenyl)-1,3-dihydro-2H-pyrrol-2-one (3ak)



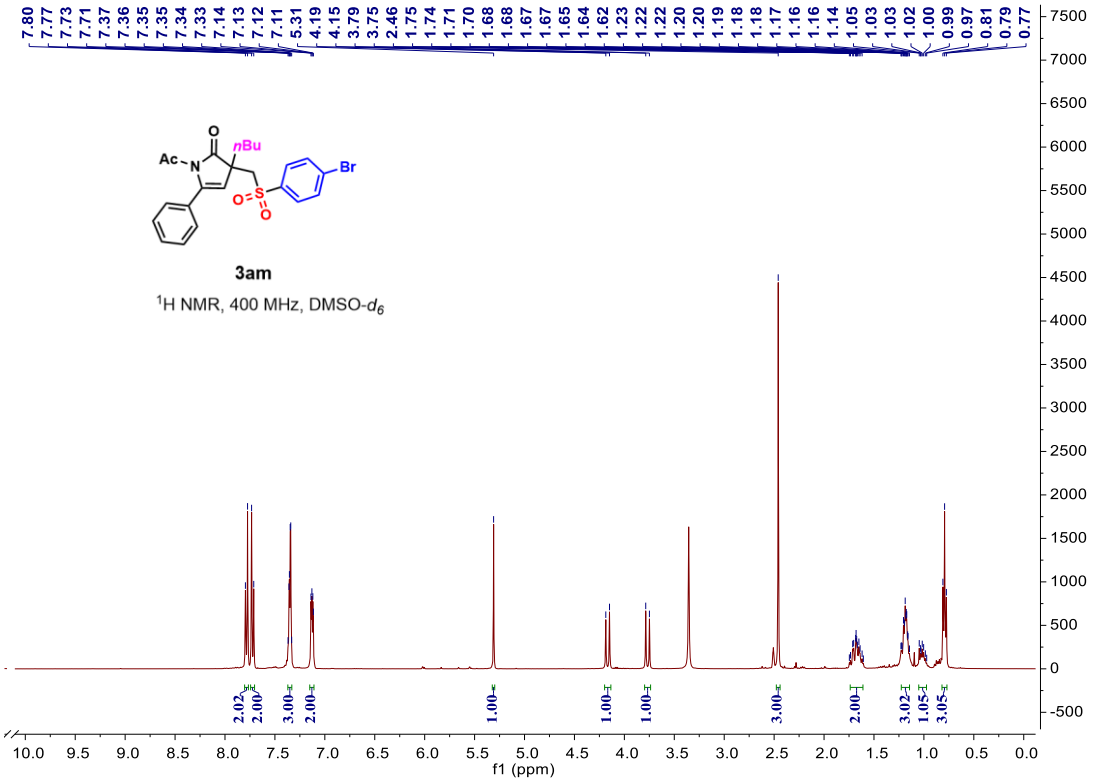


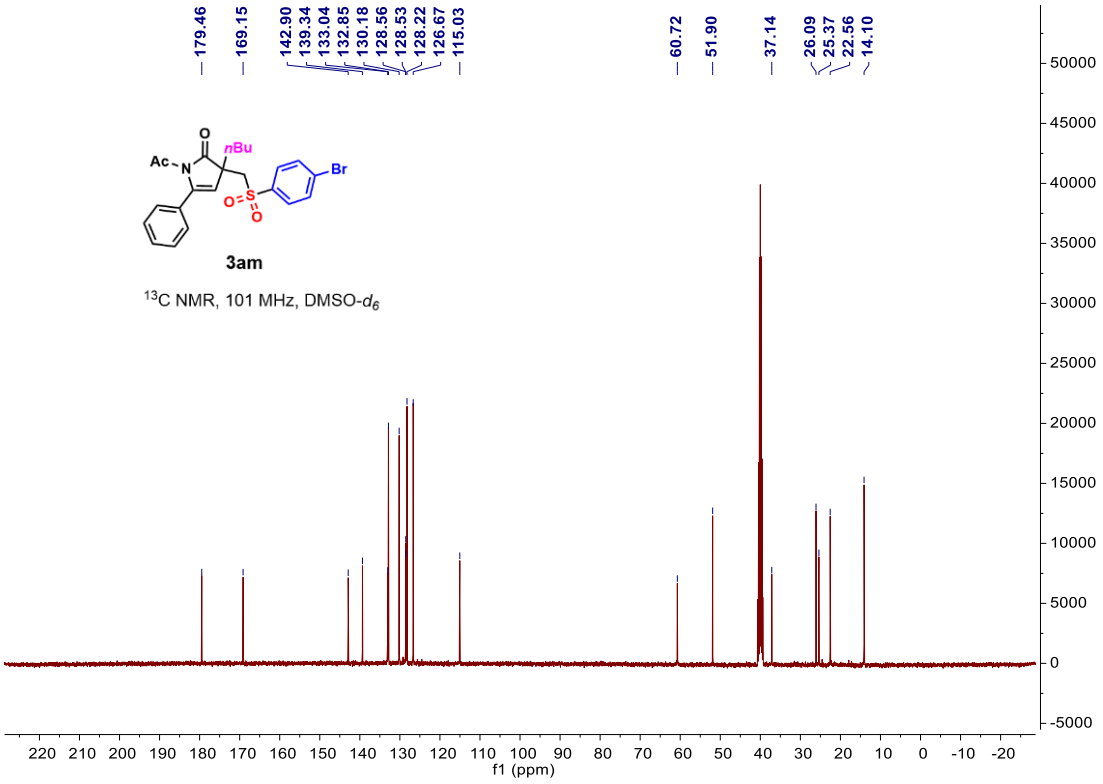
1-acetyl-3-benzyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3al)



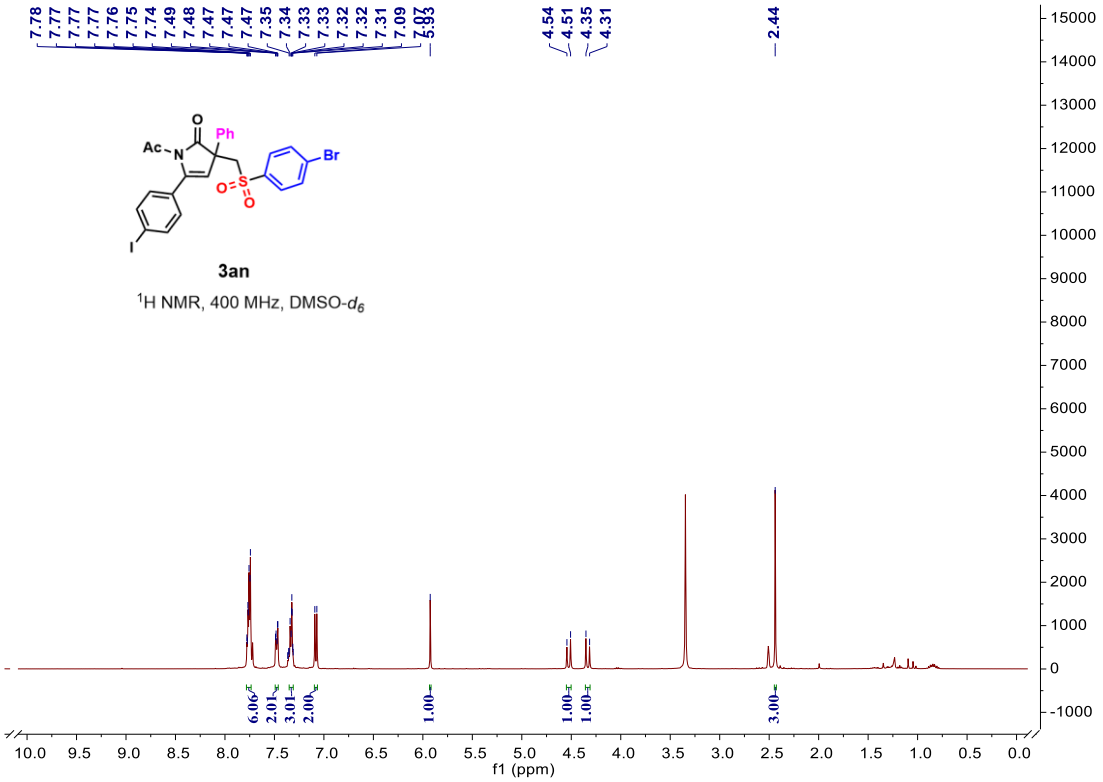


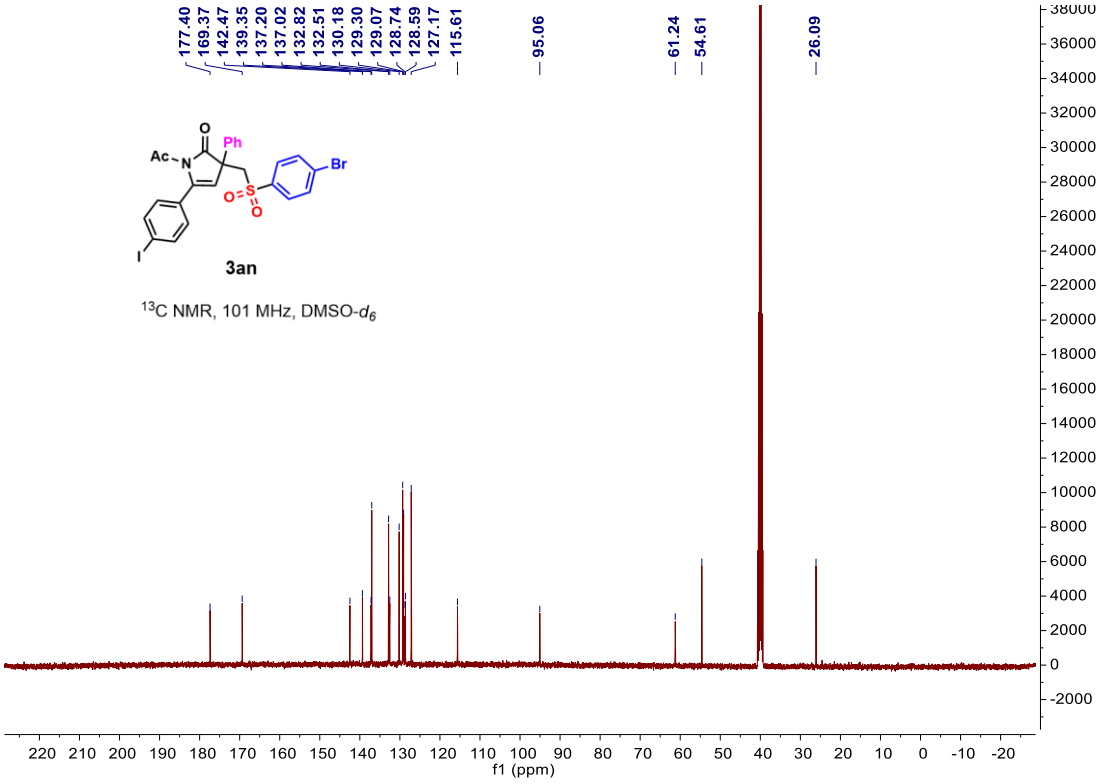
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-butyl-5-phenyl-1,3-dihydro-2H-pyrrol-2-one (3am)



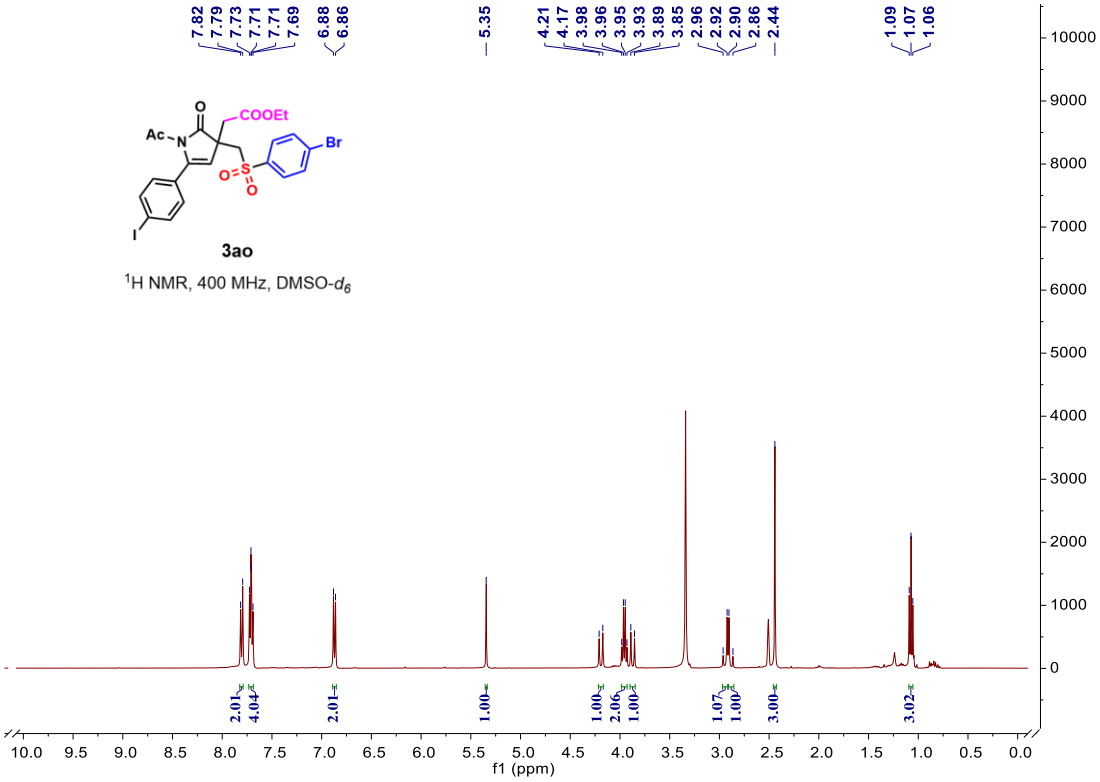


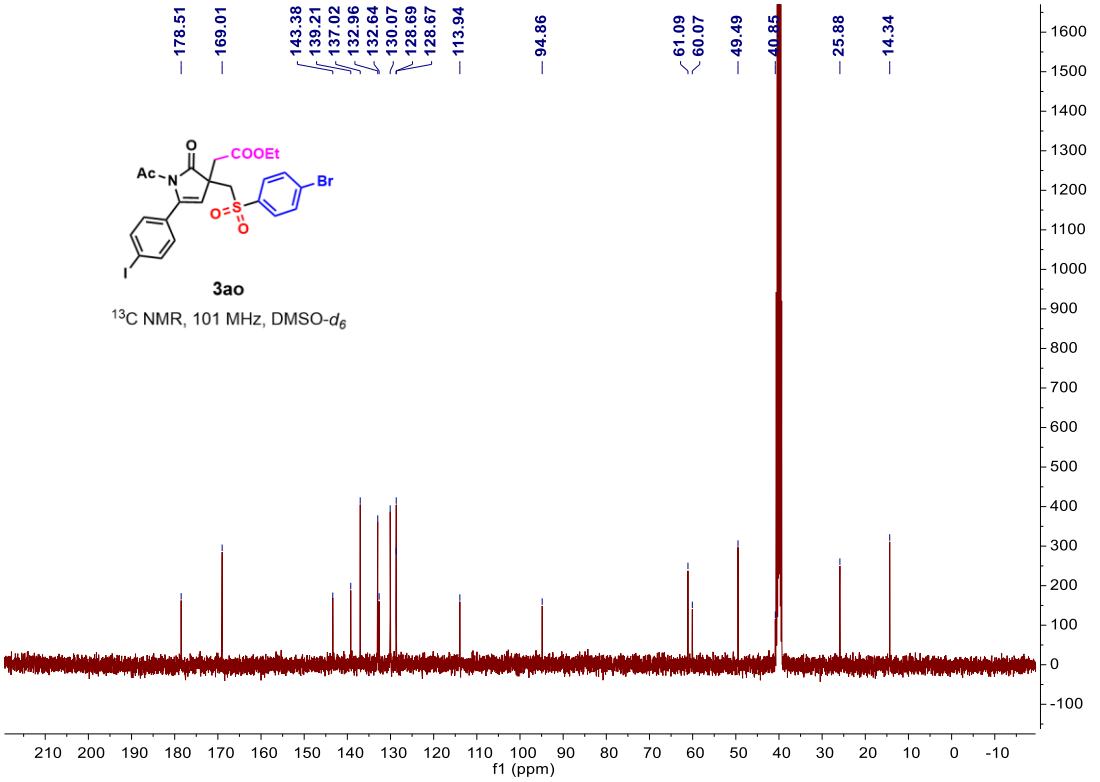
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-iodophenyl)-3-phenyl-1,3-dihydro-2H-pyrrol-2-one (3an)



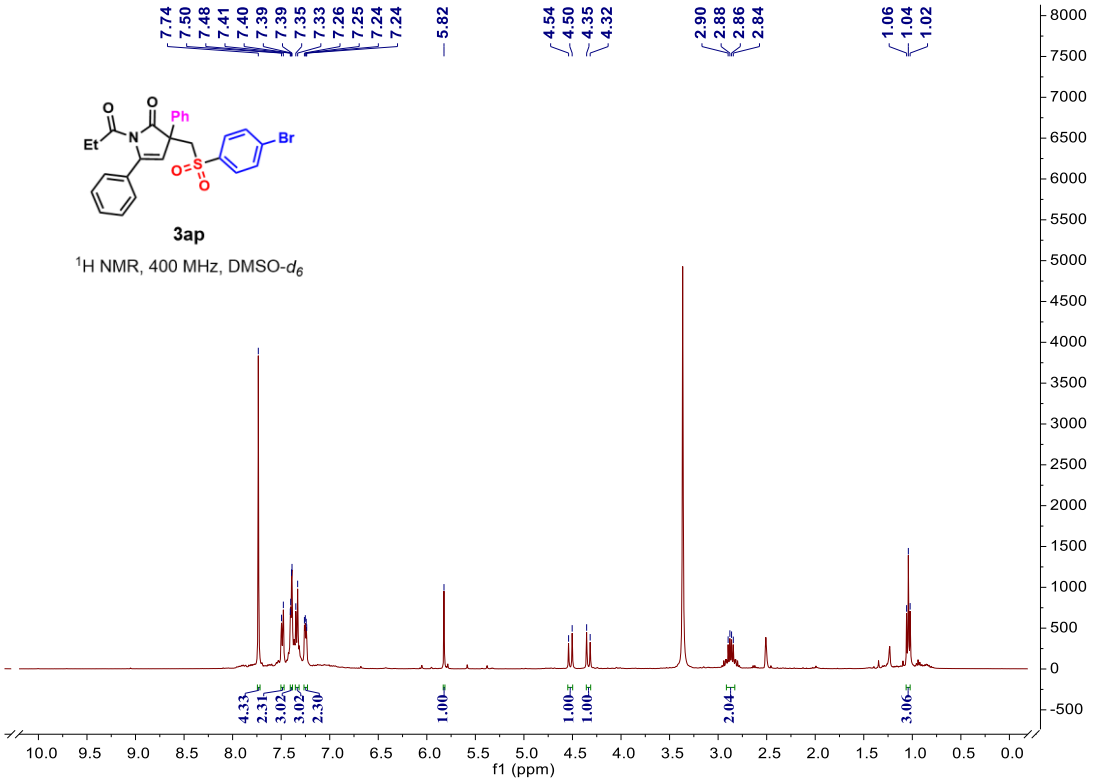


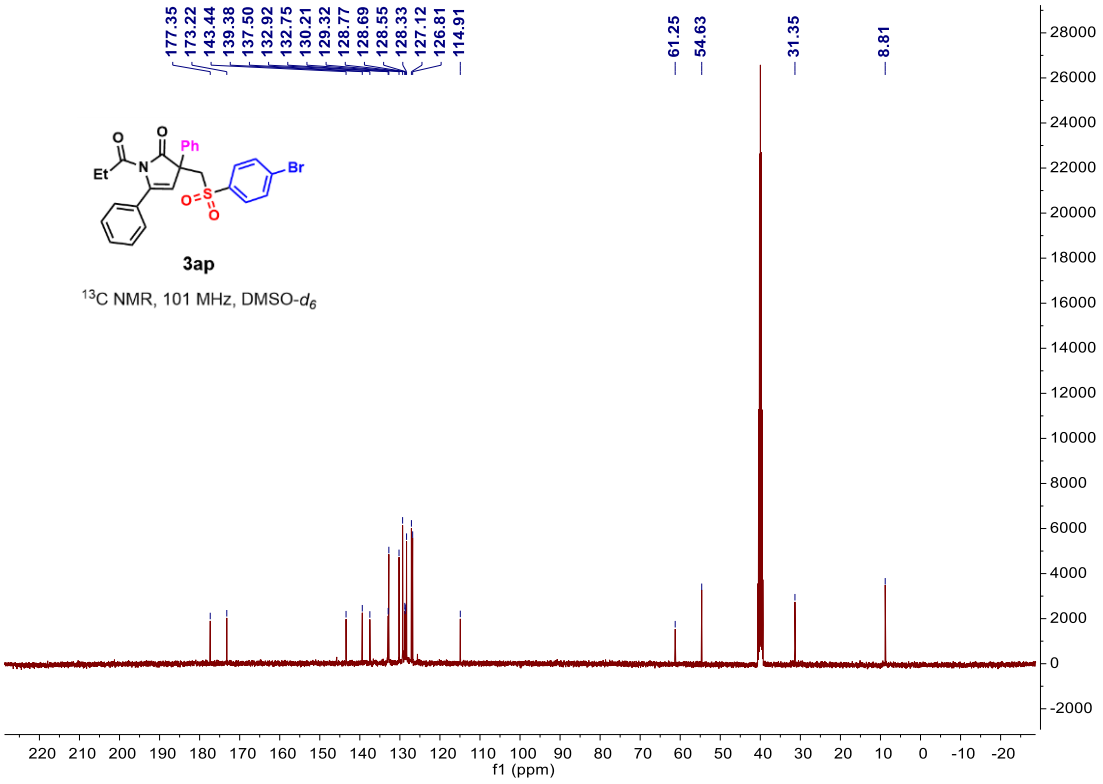
Ethyl 2-(1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-5-(4-iodophenyl)-2-oxo-2,3-dihydro-1H-pyrrol-3-yl)acetate (3ao)



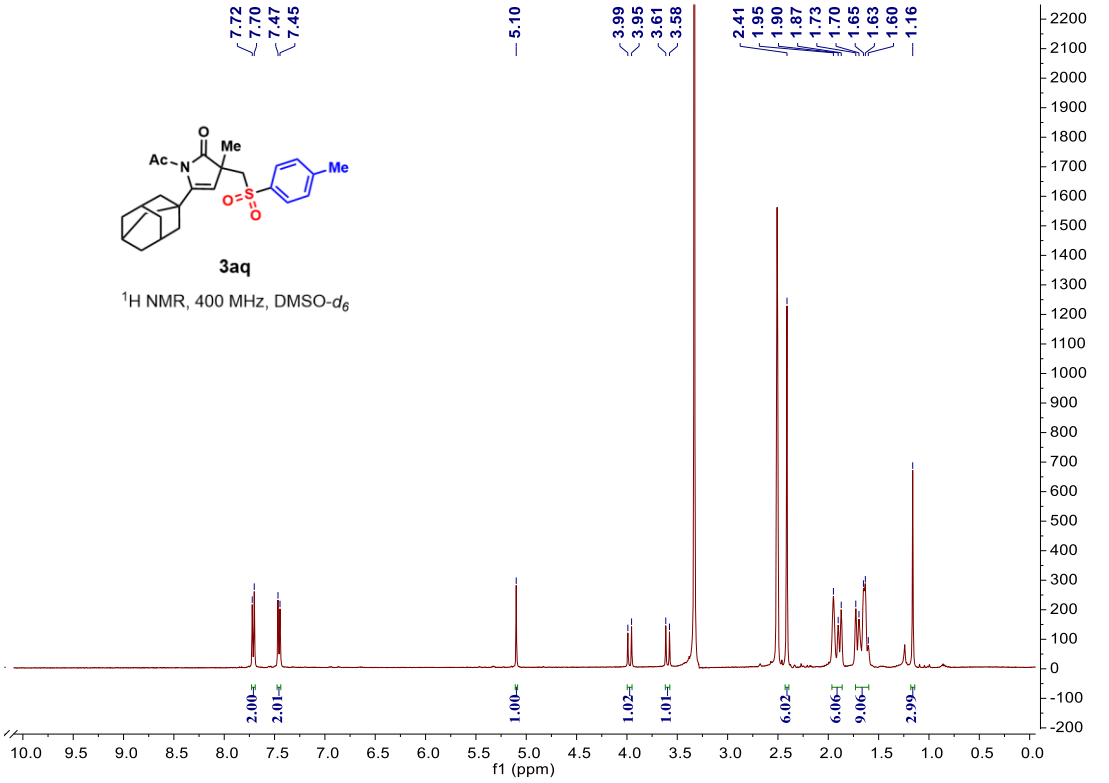


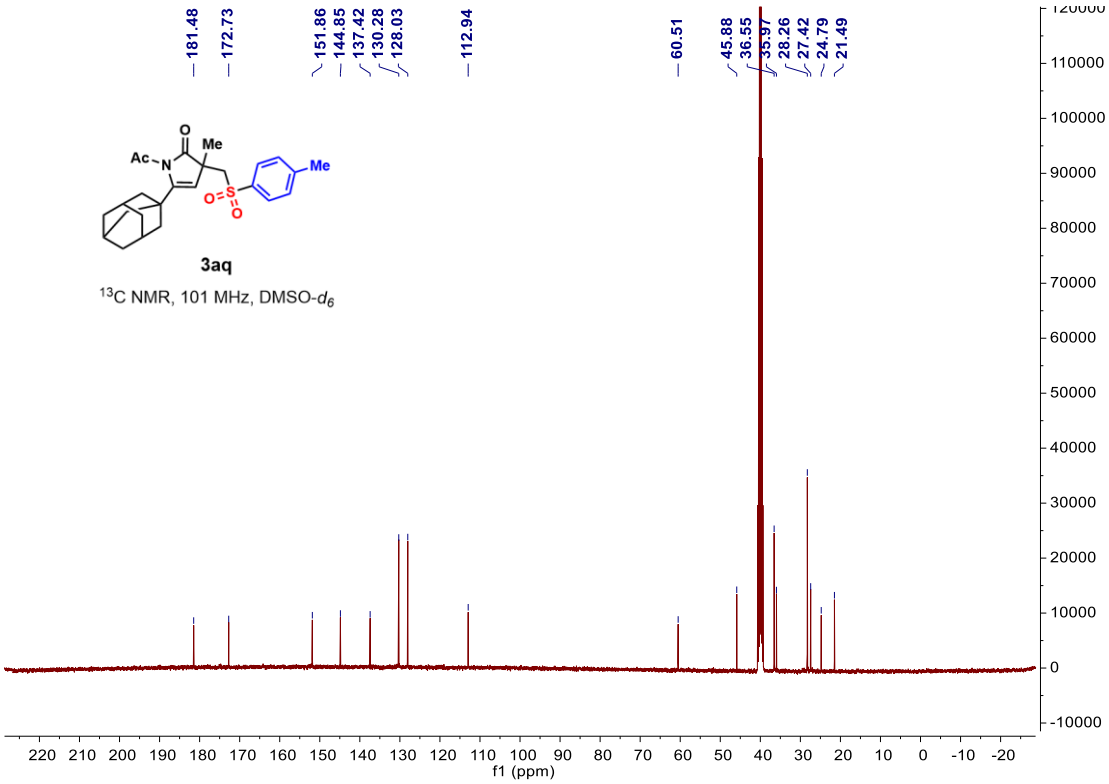
3-(((4-bromophenyl)sulfonyl)methyl)-3,5-diphenyl-1-propionyl-1,3-dihydro-2H-pyrrol-2-one (3ap)





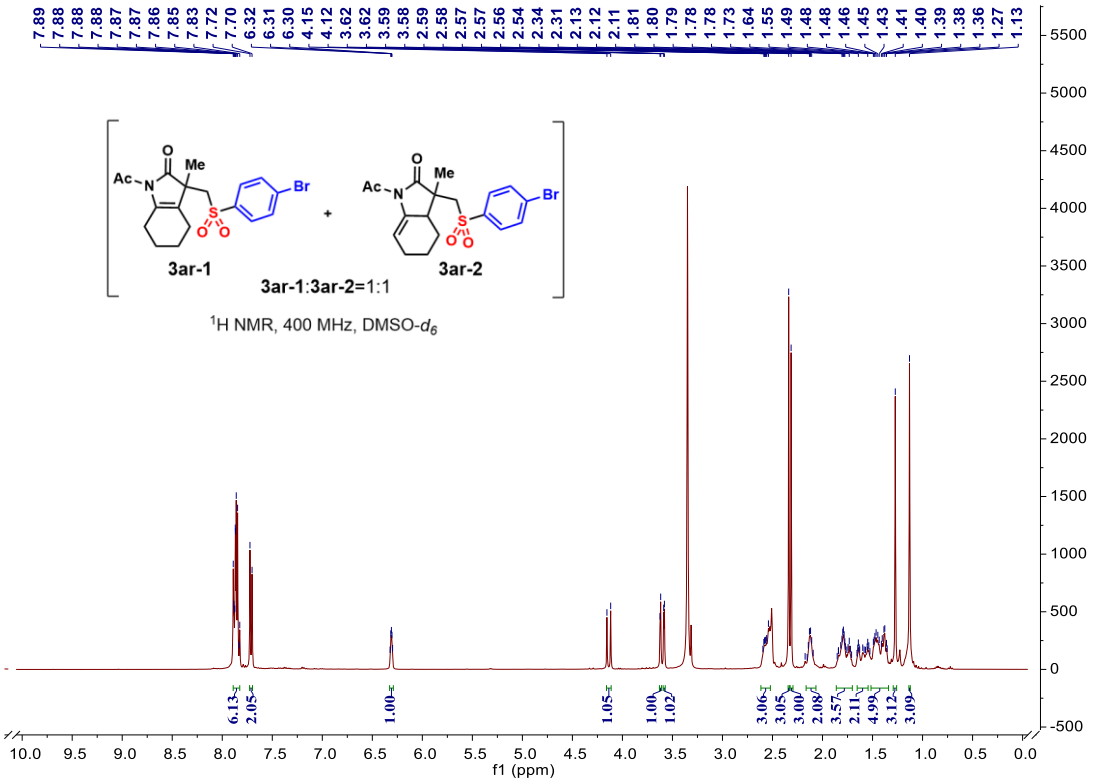
1-acetyl-5-(adamantan-1-yl)-3-methyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (3aq)

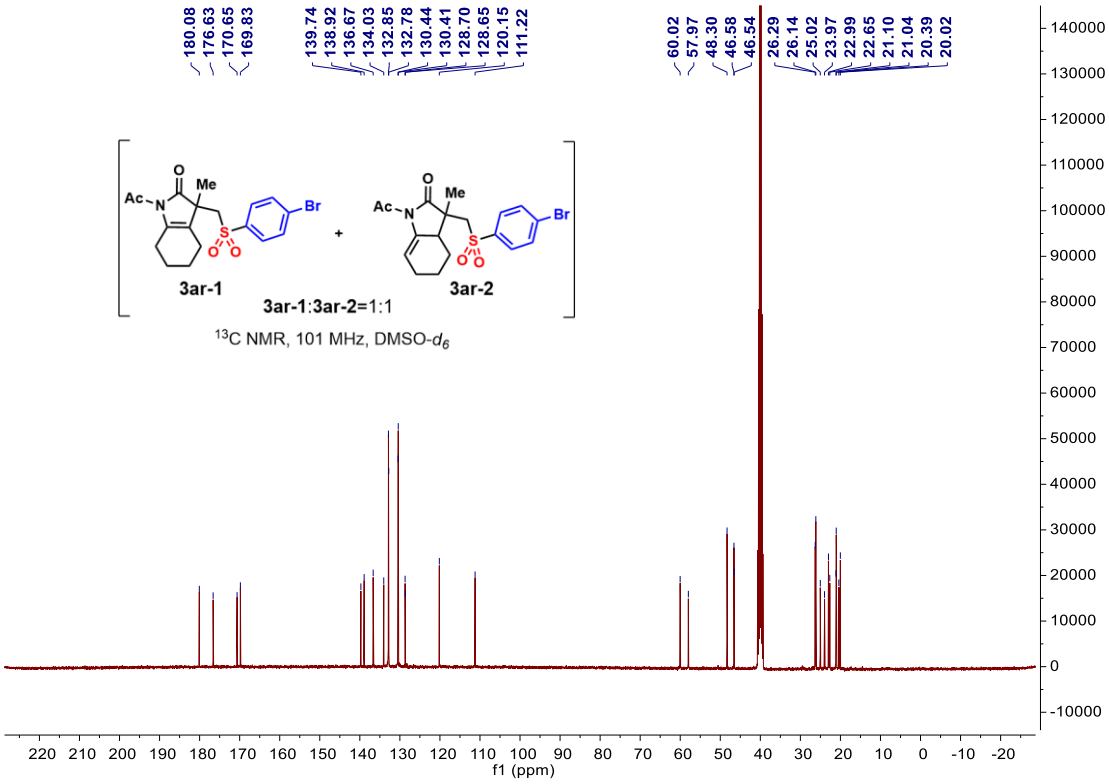




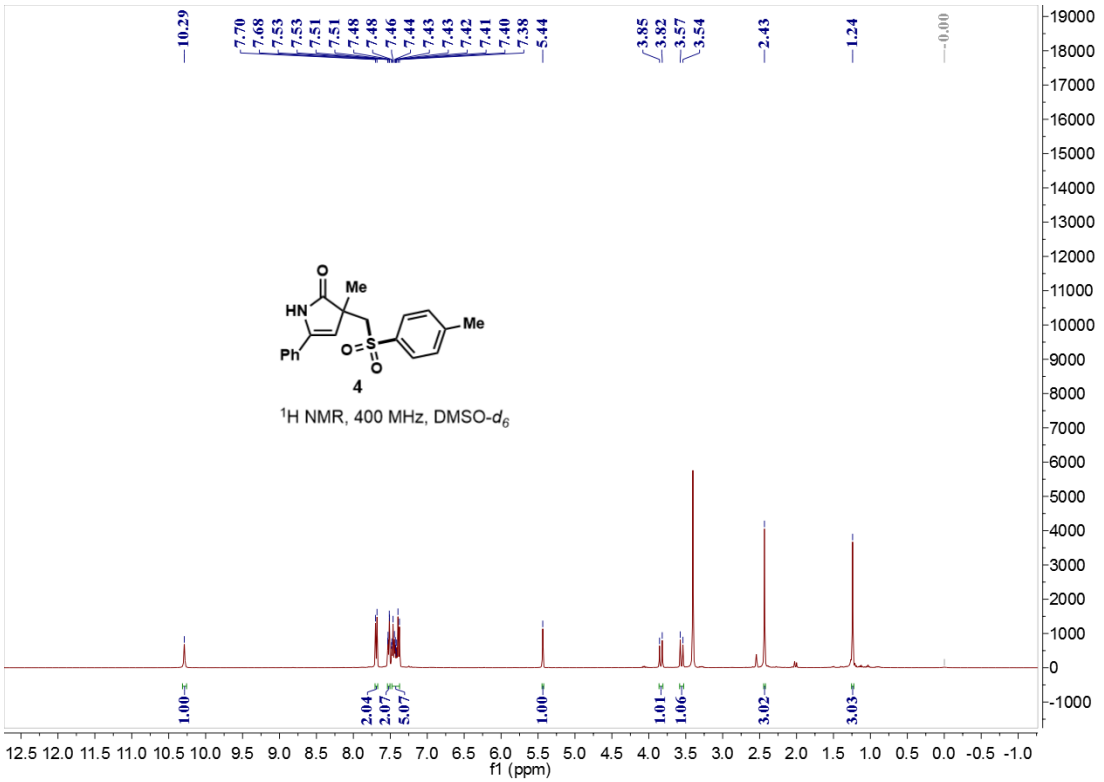
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3,4,5,6,7-hexahydro-2H-indol-2-one (3ar-1),

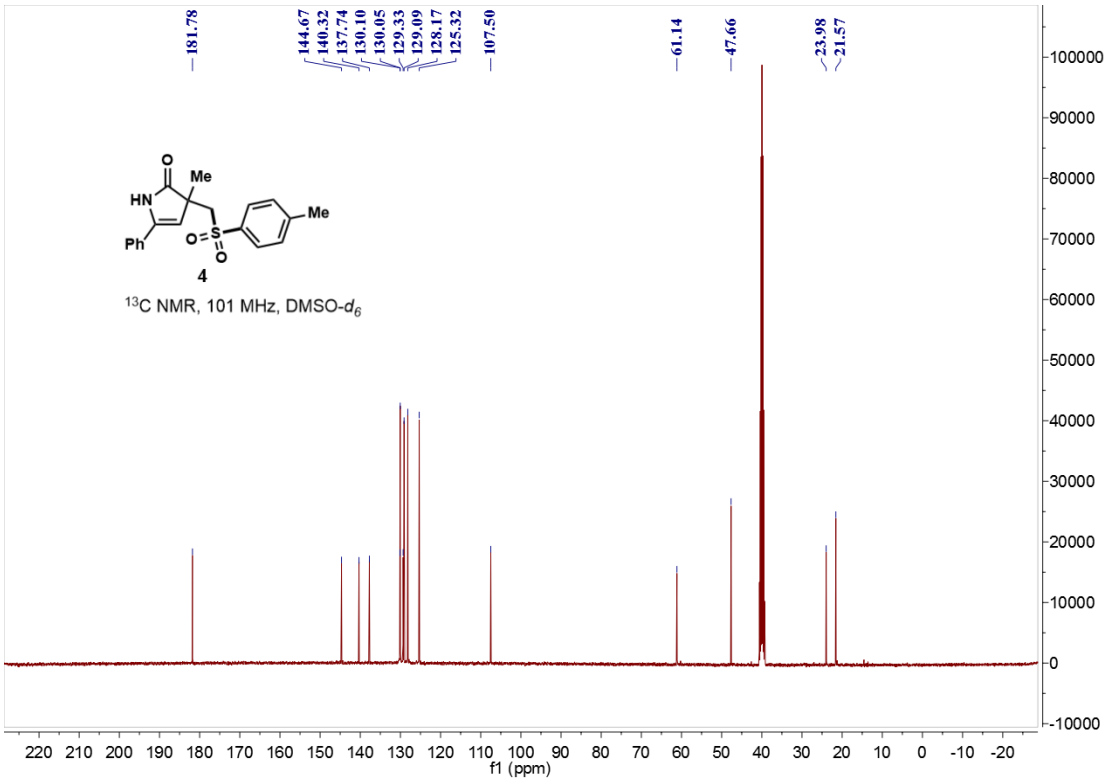
1-acetyl-3-(((4-bromophenyl)sulfonyl)methyl)-3-methyl-1,3,3a,4,5,6-hexahydro-2H-indol-2-one (3ar-2)



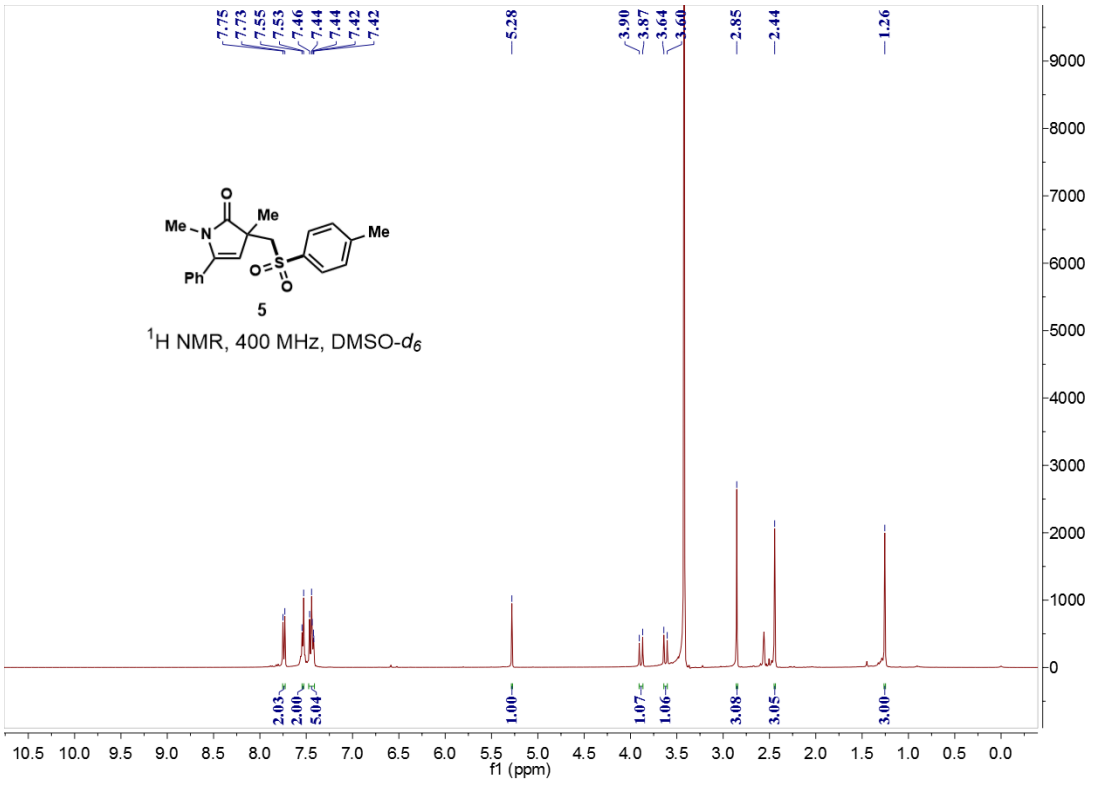


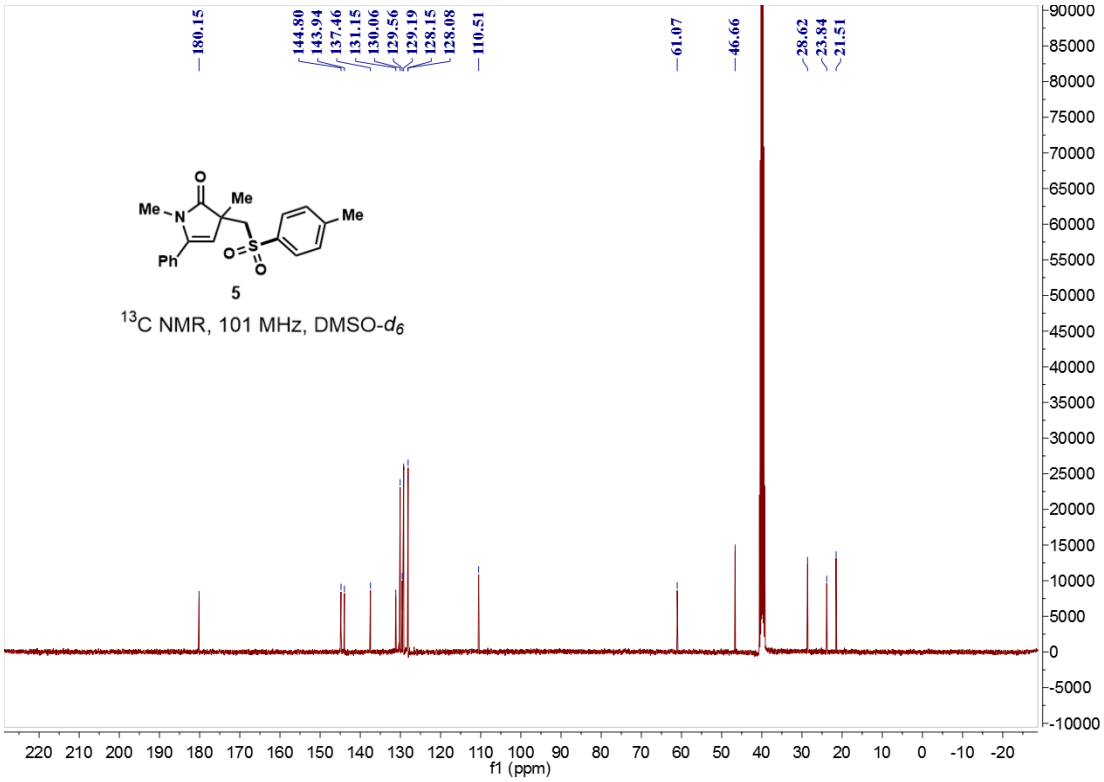
5-(4-iodophenyl)-3-methyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (4)



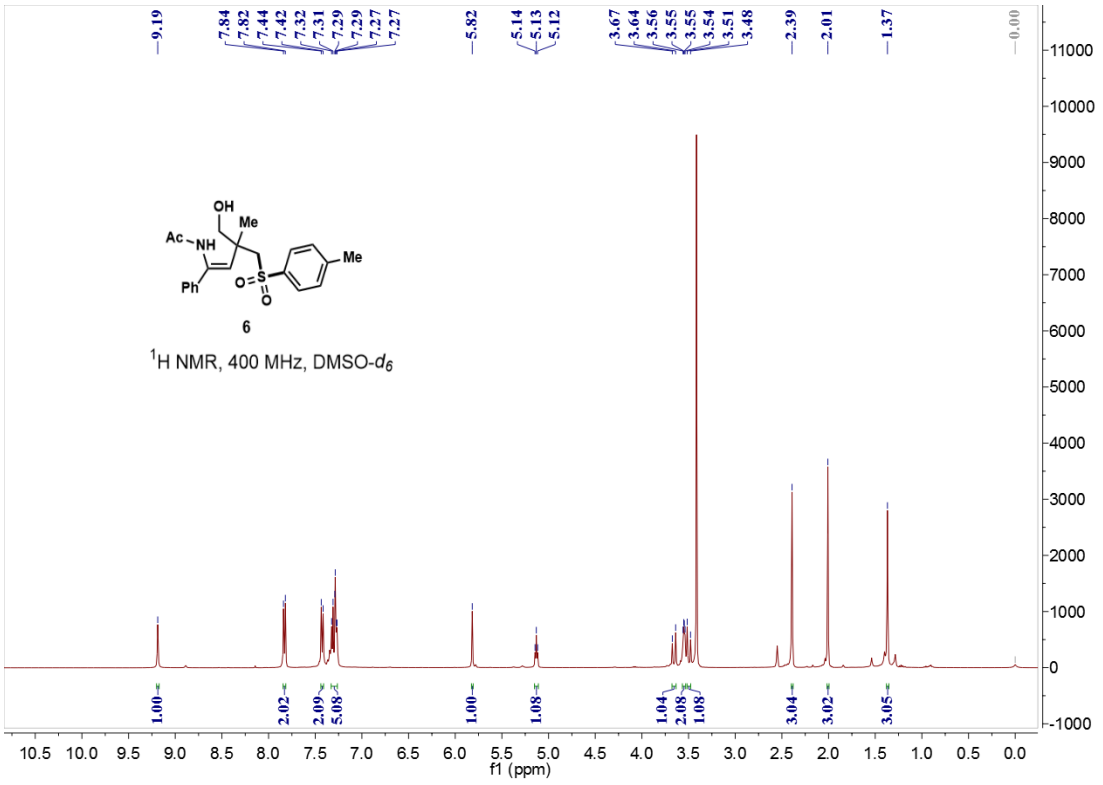


1,3-dimethyl-5-phenyl-3-(tosylmethyl)-1,3-dihydro-2H-pyrrol-2-one (5)





1-(2-hydroxy-3-methyl-5-phenyl-3-(tosylmethyl)-2,3-dihydro-1H-pyrrol-1-yl)ethan-1-one (6)

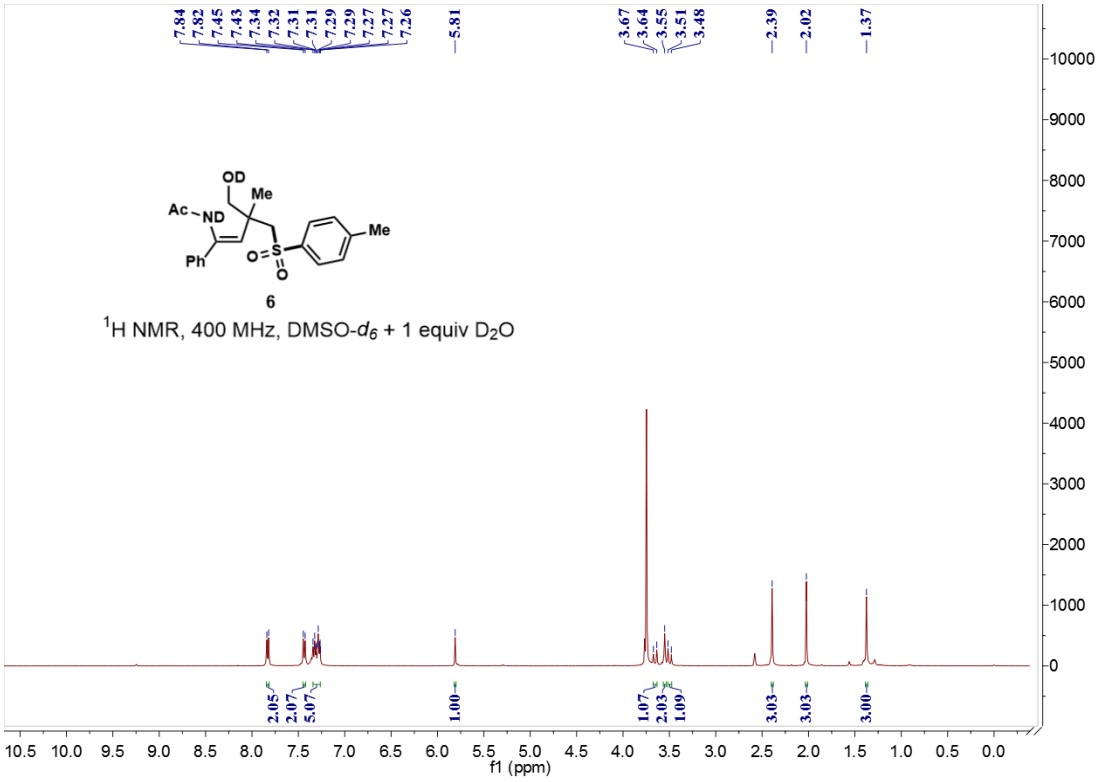


6

^{1}H NMR, 400 MHz, DMSO-d_6

6

^{13}C NMR, 101 MHz, DMSO-d_6


7.84
7.82
7.45
7.43
7.34
7.32
7.31
7.31
7.29
7.29
7.27
7.27
7.26
5.81
3.67
3.64
3.55
3.51
3.48
2.39
2.02
1.37
OD
Me
Ac
ND
Ph
O
S
O
Me
6
1H NMR, 400 MHz, DMSO-d6 + 1 equiv D2O
2.05
2.07
5.07
1.00
1.07
2.03
1.09
3.03
3.03
3.00
f1 (ppm)