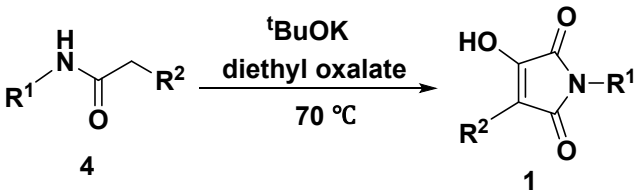
1. General remarks

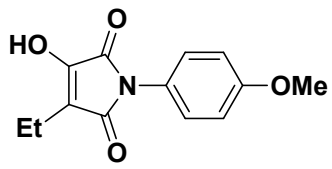
Chemicals were purchased from commercial suppliers and used without further purification unless otherwise stated. Solvents were dried and purified according to the standard procedures before use. Reactions were monitored by TLC. Flash column chromatography was performed on silica gels (200-300 mesh). ^{1}H NMR and ^{13}C NMR (300 and 75 MHz, respectively) spectra were recorded on a Bruker 300 MHz NMR spectrometer in $CDCl_3$ or DMSO-d_6. ^{1}H NMR chemical shifts are reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard ($CDCl_3$, δ 7.26 ppm, DMSO-d_6 at 2.50 ppm, Acetone-d_6 at 2.05 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, brs = broad singlet, d = doublet, t = triplet, td = triplet of doublets, q = quartet, m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm from tetramethylsilane (TMS) with the solvent resonance as the internal standard ($CDCl_3$, δ 77.16 ppm, DMSO-d_6 at 39.52 ppm, Acetone-d_6 at 29.84 ppm). HRMS data were obtained on a Bruker Daltonics. Inc mass instrument (ESI). Optical rotations were measured on a Perkin-Elmer 241 Polarimeter. Melting points were recorded on a Buchi Melting Point B-545. Chiral phophoric acids were purchased from commercial suppliers.

2. Preparation of hydroxymaleimides 1:

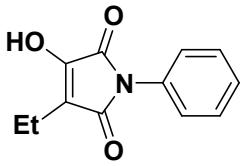


Hydroxymaleimide **1** was prepared according to literature[1] or as following procedure **A**: amide **4** (10 mmol) was dissolved in 15 mL of diethyl oxalate, ^{t}BuOK (2.8 g, 25 mmol, 2.5 equiv.) was added to the mixture, then the solution was warmed to 70 ℃. If necessary for stirring, minimal amounts of dry THF can be add to the solution. The reaction was monitored by TLC, after the reaction was completed, HCl (6N) was added to the mixture to pH≈3 and diluted with DCM (3×50 mL), the combined organic layer was washed with water, brine, dried over anhydrous Na_2SO_4 and the solvent was removed in vacuo. The residue was subjected to a column chromatography (silica gel) to give the crude hydroxymaleimide. Then

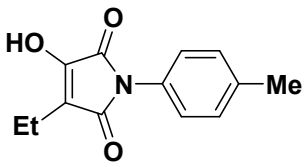
the crude product was recrystallized from ethyl acetate and petrolium ether to afford pure hydroxymaleimide **1**. If the amide can't react completely, resulting amide and hydroxymaleimide always flowed simultaneously during column chromatography, hence triethylamine can added to alkalize the column to remove amide compound, then a small amount of acetic acid was added to the eluent to acidize the column to separate hydroxymaleimide **1**.



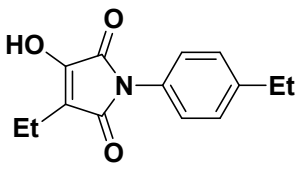
3-Ethyl-4-hydroxy-1-(4-methoxyphenyl)-1*H*-pyrrole-2,5-dione (1a): Obtained according toliterature[1], yellow solid, <10% yield (286.6 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.02 (brs, 1H), 7.25-7.17 (m, 2H), 7.03-6.95 (m, 2H), 3.78 (s, 3H), 2.28 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H).



3-Ethyl-4-hydroxy-1-phenyl-1*H*-pyrrole-2,5-dione (1b): Obtained according toliterature[1], yellow solid, <10% yield (237 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.10 (brs, 1H), 7.51-7.40 (m, 2H), 7.40-7.28 (m, 3H), 2.30 (q, J = 7.5 Hz, 2H), 1.08 (t, J = 7.5 Hz, 3H).

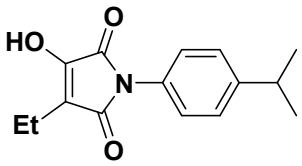


3-Ethyl-4-hydroxy-1-(p-tolyl)-1*H*-pyrrole-2,5-dione (1c): Obtained according toliterature[1], yellow solid, <10% yield (168.0 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.04 (brs, 1H), 7.25 (d, J = 8.2 Hz, 2H), 7.22-7.15 (m, 2H), 2.33 (s, 3H), 2.27 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H).

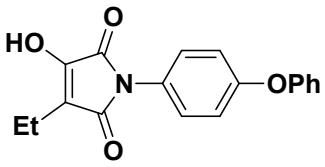


3-Ethyl-1-(4-ethylphenyl)-4-hydroxy-1*H*-pyrrole-2,5-dione (1d): Obtained according to literature, yellow solid, 15% yield (734 mg), m.p.: 139.1-139.7 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.04 (brs, 1H), 7.28 (d, J = 8.4 Hz, 2H), 7.21 (d, J = 8.4 Hz, 2H), 2.63 (q, J = 7.6 Hz, 2H), 2.29 (q, J = 7.5 Hz, 2H), 1.19 (t, J = 7.6 Hz, 3H), 1.07 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.07, 166.18, 152.47, 143.02, 129.38, 128.09, 126.52, 111.14, 27.81, 15.58, 14.23, 12.55.

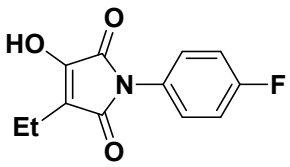
HRMS (ESI) Calcd. for $[C_{14}H_{15}NO_3+Na]^+$ 268.0944; Found: 268.0942.



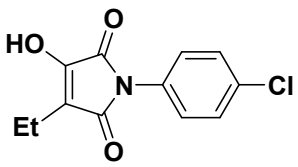
3-Ethyl-4-hydroxy-1-(4-isopropylphenyl)-1*H*-pyrrole-2,5-dione (1e): Obtained according toliterature[1], yellow solid, 20%yield (1.013g), m.p.: 190.1-190.3 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.07 (brs, 1H), 7.32 (d, J = 8.4 Hz, 2H), 7.21 (d, J = 8.3 Hz, 2H), 2.92 (dt, J = 13.8, 6.9 Hz, 1H), 2.29 (q, J = 7.5 Hz, 2H), 1.21 (d, J = 6.9 Hz, 6H), 1.07 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.06, 166.18, 152.47, 147.57, 129.44, 126.62, 126.52, 111.11, 33.14, 23.81, 14.22, 12.54. HRMS (ESI) Calcd. for $[C_{15}H_{17}NO_3+Na]^+$282.1101; Found: 282.1098.



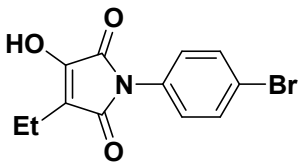
3-Ethyl-4-hydroxy-1-(4-phenoxyphenyl)-1*H*-pyrrole-2,5-dione (1f): Obtained according toliterature[1], yellow solid, 16%yield (986 mg), m.p.: 188.7-189.1 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.13 (brs, 1H), 7.48-7.37 (m, 2H), 7.37-7.28 (m, 2H), 7.17 (t, J = 7.4 Hz, 1H), 7.12-7.01 (m, 4H), 2.29 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.01, 166.13, 156.31, 155.74, 152.53, 130.15, 128.34, 126.89, 123.83, 118.96, 118.53, 111.15, 14.23, 12.54.HRMS (ESI) Calcd. for $[C_{18}H_{15}NO_4+Na]^+$ 332.0893; Found: 332.0891.



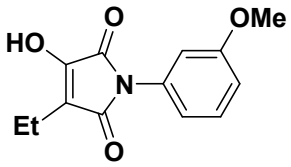
3-Ethyl-1-(4-fluorophenyl)-4-hydroxy-1*H*-pyrrole-2,5-dione (1g): Obtained according toliterature[1], yellow solid, <10% yield (373 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.10 (brs, 1H), 7.37 (m, 2H), 7.30 (m, 2H), 2.29 (q, J = 7.5 Hz, 2H), 1.08 (t, J = 7.5 Hz, 3H).



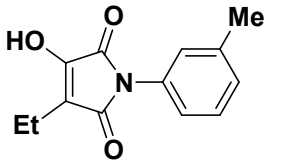
1-(4-Chlorophenyl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1h): Obtained according toprocedure **A**, yellow solid, 48% yield (2.422 g). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.33 (brs, 1H), 7.52 (d, J = 8.7 Hz, 2H), 7.37 (d, J = 8.8 Hz, 2H), 2.29 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H).



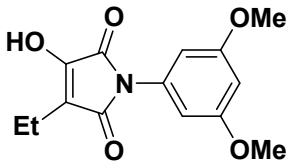
1-(4-Bromophenyl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1i): Obtained according toliterature[1], yellow solid, <10% yield (238 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.16 (brs, 1H), 7.66 (d, J = 8.7 Hz, 2H), 7.31 (d, J = 8.7 Hz, 2H), 2.29 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H).



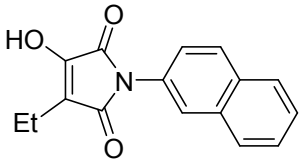
3-Ethyl-4-hydroxy-1-(3-methoxyphenyl)-1*H*-pyrrole-2,5-dione (1j): Obtained according to literature[1], yellow solid, 20% yield (997 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.02 (brs, 1H), 7.36 (dd, J = 11.7, 4.7 Hz, 1H), 6.98-6.85 (m, 3H), 3.76 (s, 3H), 2.29 (q, J = 7.6 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H).



3-Ethyl-4-hydroxy-1-(m-tolyl)-1*H*-pyrrole-2,5-dione (1k): Obtained according to literature[1], yellow solid, <10% yield (305 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.10 (brs, 1H), 7.33 (t, J = 7.7 Hz, 1H), 7.17 (d, J = 7.6 Hz, 1H), 7.10 (dd, J = 10.0, 1.1 Hz, 2H), 2.33 (s, 3H), 2.28 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H).

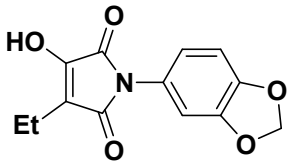


1-(3,5-Dimethoxyphenyl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1l): Obtained according to procedure **A**, yellow solid, 57% yield (3.152 g),m.p.: 127.1-127.5 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.10 (brs, 1H), 6.51 (s, 3H), 3.74 (s, 6H), 2.29 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 170.75, 165.89, 160.30, 152.47, 133.41, 111.23, 105.06, 99.20, 55.39, 14.22, 12.50.HRMS (ESI) Calcd. for $[C_{14}H_{15}NO_5+Na]^+$ 300.0842; Found: 300.0835.

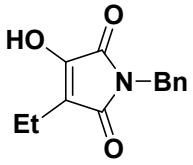


3-Ethyl-4-hydroxy-1-(naphthalen-1-yl)-1*H*-pyrrole-2,5-dione (1m): Yellow solid, M. P.: 183.0-183.3 ℃, 27% yield (722.3 mg), ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.18 (brs, 1H), 7.99 (d, J = 9.1 Hz, 1H), 7.97-7.91 (m, 2H), 7.89 (d, J = 1.7 Hz, 1H), 7.60-7.52 (m, 2H), 7.48 (dd, J = 8.7, 2.0

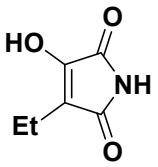
Hz, 1H), 2.34 (q, J = 7.5 Hz, 2H), 1.11 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.06, 166.19, 152.66, 132.75, 131.67, 129.36, 128.36, 127.78, 127.60, 126.58, 126.42, 124.80, 124.74, 111.31, 14.29, 12.57. HRMS (ESI) Calcd. for $[C_{16}H_{13}NO_3+Na]^+$ 290.0788; Found: 290.0802.



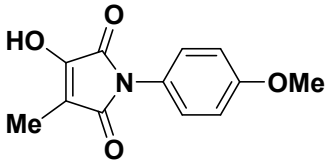
1-(Benzo[d][1,3]dioxol-5-yl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1n): Obtained according to procedure **A**, yellow solid, 36% yield (1.886 g), m.p.: 124.1-124.5 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.13 (brs, 1H), 6.97 (d, J = 8.3 Hz, 1H), 6.89 (d, J = 1.9 Hz, 1H), 6.76 (dd, J = 8.2, 2.0 Hz, 1H), 6.06 (s, 2H), 2.28 (q, J = 7.5 Hz, 2H), 1.07 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.06, 166.19, 152.43, 147.24, 146.47, 125.30, 120.64, 111.09, 108.17, 107.95, 101.60, 14.21, 12.52. HRMS (ESI) Calcd. for $[C_{13}H_{11}NO_5+Na]^+$284.0529; Found: 284.0528.



1-Benzyl-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1o): Obtained according to procedure **A**, white solid, 27% yield (624 mg), m.p.: 75.1-75.7 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.98 (brs, 1H), 7.37-7.16 (m, 5H), 4.54 (s, 2H), 2.23 (q, J = 7.5 Hz, 2H), 1.02 (t, J = 7.5 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.83, 167.03, 152.45, 137.17, 128.55, 127.27, 127.16, 111.27, 40.24, 14.12, 12.60.HRMS (ESI) Calcd. for $[C_{13}H_{13}NO_3+H]^+$ 232.0968; Found: 232.0967.

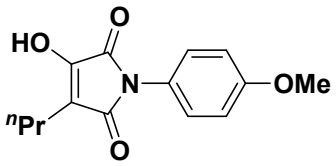


3-Ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1p): Obtained according to procedure **A**, white solid, 69% yield (985.8 mg). ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.57 (brs, 1H), 10.27 (brs, 1H), 2.17 (q, J = 7.5 Hz, 2H), 1.00 (t, J = 7.5 Hz, 3H).

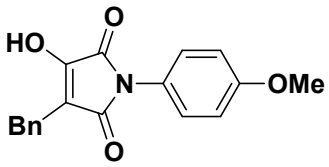


3-Hydroxy-1-(4-methoxyphenyl)-4-methyl-1*H*-pyrrole-2,5-dione (1q): Obtained according to literature[1], orange solid, 22% yield (1.026 g), m.p.: 173.7-174.3 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.99 (brs, 1H), 7.25-7.16 (m, 2H), 7.04-6.95 (m, 2H), 3.77 (s, 3H), 1.79 (s, 3H).

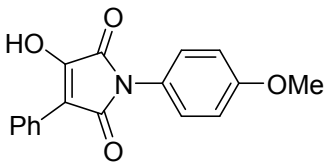
^{13}C NMR (75 MHz, DMSO-d_6) δ 171.55, 166.26, 158.33, 152.77, 128.09, 124.41, 114.04, 105.76, 55.33, 5.90.HRMS (ESI) Calcd. for $[C_{12}H_{11}NO_4+Na]^+$ 256.0580; Found: 256.0577.



3-Hydroxy-1-(4-methoxyphenyl)-4-propyl-1*H*-pyrrole-2,5-dione (1r): Obtained according to literature[1], yellow solid, 20% yield (1.060 g), m.p.: 121.1-122.3 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.93 (s, 1H), 7.26- 7.16 (m, 2H), 7.04-6.95 (m, 2H), 3.77 (s, 3H), 2.25 (t, J = 7.4 Hz, 2H), 1.60-1.41 (m, 2H), 0.90 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.36, 166.28, 158.31, 153.05, 128.08, 124.40, 114.02, 109.57, 55.32, 22.67, 20.94, 13.76. HRMS (ESI) Calcd. for $[C_{14}H_{15}NO_4+Na]^+$ 284.0893; Found: 284.0893.



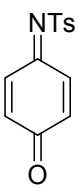
3-Benzyl-4-hydroxy-1-(4-methoxyphenyl)-1*H*-pyrrole-2,5-dione (1s): Obtained according to literature[1], yellow solid, 17% yield (1.062 g), m.p.: 171.8-172.2 ℃. ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.48 (brs, 1H), 7.35-7.13 (m, 7H), 6.99 (d, J = 9.0 Hz, 2H), 3.77 (s, 3H), 3.63 (s, 2H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.14, 166.16, 158.36, 153.55, 138.59, 128.40, 128.31, 128.13, 126.14, 124.30, 114.03, 108.30, 55.32, 26.33. HRMS (ESI) Calcd. for $[C_{18}H_{15}NO_4+H]^+$ 310.1074; Found: 310.1087.



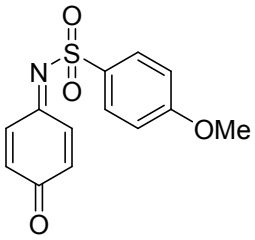
3-Hydroxy-1-(4-methoxyphenyl)-4-phenyl-1*H*-pyrrole-2,5-dione (1t): ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.05-7.96 (m, 2H), 7.44 (t, J = 7.6 Hz, 2H), 7.36-7.26 (m, 3H), 7.04 (dd, J = 9.5, 2.5 Hz, 2H), 3.79 (s, 3H).

3. Preparation of quinone monoimines 2:

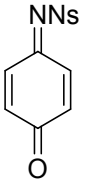
Quinone monoimines **2** were obtained according to the literature procedures[2].



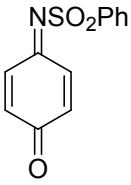
4-Methyl-*N*-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2a): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.22 (dd, J = 10.5, 2.5 Hz, 1H), 7.90 (d, J = 8.3 Hz, 2H), 7.38 (d, J = 8.1 Hz, 2H), 6.98 (dd, J = 10.2, 2.5 Hz, 1H), 6.69 (d, J = 10.3 Hz, 2H), 2.47 (s, 3H).



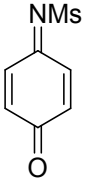
4-Methoxy-*N*-(4-oxocyclohexa-2,5-dien-1-ylidene)benzene-sulfonamide (2b): ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.06 (dd, J = 10.3, 2.7 Hz, 1H), 8.01-7.79 (m, 2H), 7.29-7.18 (m, 2H), 7.13 (dd, J = 9.9, 2.7 Hz, 1H), 6.97-6.75 (m, 2H), 3.88 (s, 3H).



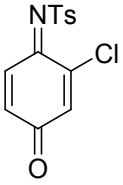
4-Nitro-*N*-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2c): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.43 (d, J = 8.8 Hz, 2H), 8.22 (d, J = 8.8 Hz, 2H), 8.12 (d, J = 10.3 Hz, 1H), 6.99 (d, J = 10.0 Hz, 1H), 6.75 (d, J = 10.1 Hz, 2H).



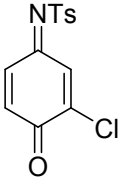
N-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2d): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.21 (dd, J = 10.6, 2.4 Hz, 1H), 8.03 (dd, J = 8.4, 1.1 Hz, 2H), 7.73-7.64 (m, 1H), 7.64-7.55 (m, 2H), 6.99 (dd, J = 10.2, 2.5 Hz, 1H), 6.70 (d, J = 10.2 Hz, 2H).



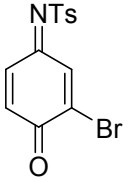
N-(4-oxocyclohexa-2,5-dien-1-ylidene)methanesulfonamide (2e) : ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.96 (dd, J = 10.4, 2.6 Hz, 1H), 7.01 (dd, J = 10.1, 2.6 Hz, 1H), 6.73 (dd, J = 10.1, 2.1 Hz, 1H), 6.65 (dd, J = 10.4, 2.1 Hz, 1H), 3.28 (s, 3H).



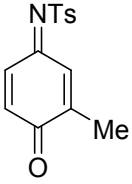
N-(2-chloro-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzene-sulfonamide (2f): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.45 (d, J = 2.5 Hz, 0.5H), 8.25 (dd, J = 10.3, 2.4 Hz, 0.5H), 7.89 (dd, J = 8.3, 3.6 Hz, 2H), 7.39 (d, J = 8.1 Hz, 2H), 7.18 (d, J = 2.4 Hz, 0.4H), 6.99 (dd, J = 10.0, 2.5 Hz, 0.6H), 6.81 (dd, J = 10.1, 5.1 Hz, 1H), 2.47 (s, 3H).



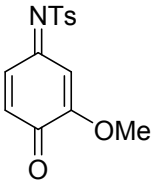
N-(3-chloro-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzene-sulfonamide (2g): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.45 (d, J = 2.5 Hz, 0.6H), 8.24 (dd, J = 10.3, 2.5 Hz, 0.4H), 7.89 (dd, J = 8.3, 3.7 Hz, 2H), 7.39 (d, J = 7.8 Hz, 2H), 7.18 (d, J = 2.4 Hz, 0.4H), 6.99 (dd, J = 10.0, 2.5 Hz, 0.6H), 6.81 (dd, J = 10.2, 5.2 Hz, 1H), 2.47 (s, 3H).



N-(3-bromo-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzene-sulfonamide (2h): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.73 (d, J = 2.4 Hz, 0.5H), 8.25 (dd, J = 10.2, 2.4 Hz, 0.5H), 7.89 (dd, J = 8.3, 4.2 Hz, 2H), 7.46 (d, J = 2.4 Hz, 0.4H), 7.39 (d, J = 7.7 Hz, 2H), 7.00 (dd, J = 10.0, 2.5 Hz, 0.6H), 6.85 (dd, J = 10.1, 5.1 Hz, 1H), 2.47 (s, 3H).

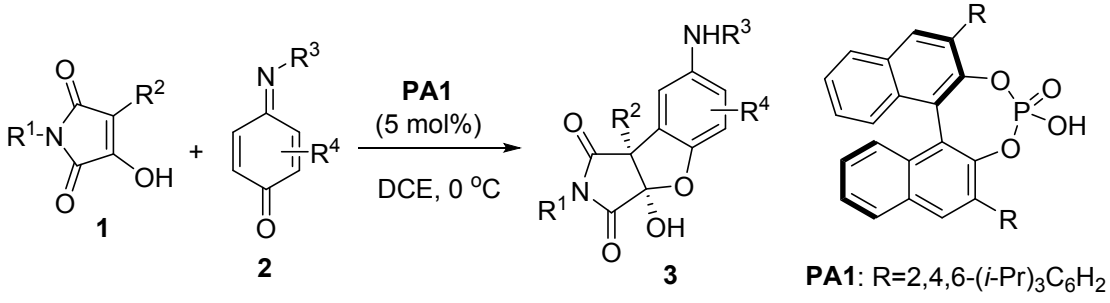


4-methyl-N-(3-methyl-4-oxocyclohexa-2,5-dien-1-ylidene)benzene-sulfonamide (2i): ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.16 (dd, J = 10.3, 2.7 Hz, 0.4H), 8.01 (dd, J = 2.5, 1.5 Hz, 0.6 H), 7.89 (dd, J = 8.3, 1.7 Hz, 2H), 7.37 (d, J = 8.3 Hz, 2H), 6.90 (dd, J = 10.0, 2.6 Hz, 0.6H), 6.80 (dd, J = 3.2, 2.2 Hz, 0.4H), 6.67 (dd, J = 10.2, 2.5 Hz, 1H), 2.46 (s, 3H), 2.14 (d, J = 1.5 Hz, 2H), 2.05 (d, J = 1.3 Hz, 1H).

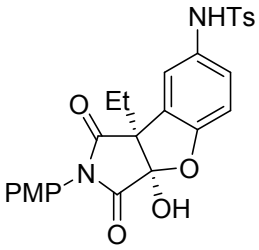


N-(3-methoxy-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzene-sulfonamide (2j): Yellow solid, M. P.: 161.2-161.7 ℃, 24% yield (694.7 mg), ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.21 (d, J = 10.7 Hz, 0.2H), 7.90 (d, J = 8.3 Hz, 2H), 7.37 (d, J = 8.0 Hz, 2H), 7.28 (d, J = 2.3 Hz, 0.8H), 6.90 (dd, J = 9.9, 2.3 Hz, 0.8H), 6.64 (dd, J = 10.3, 5.7 Hz, 1H), 6.09 (d, J = 2.7 Hz, 0.2H), 3.96 (s, 2.4H), 3.82 (s, 0.6H), 2.46 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 180.37, 179.95, 165.31, 164.71, 157.12, 156.97, 144.36, 141.25, 137.05, 133.66, 133.43, 130.10, 129.55, 127.22, 109.95, 101.70, 56.54, 56.21, 21.47. HRMS (ESI) Calcd for $[C_{14}H_{13}NO_4S+Na]^+$ 314.0457; Found: 314.0453.

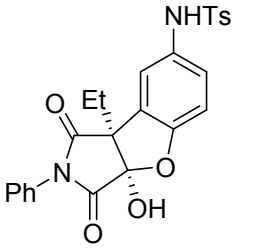
4. General procedure for the catalytic asymmetric [3+2] annulation of hydroxymaleimides 1 with quinone monoimines 2:



Hydroxymaleimide **1** (0.10 mmol), quinone monoimine **2** (0.12 mmol) and catalyst **PA1** (3.8 mg, 0.005 mmol, 5 mol %) were dissolved in 1,2-dichloroethane (1.0 mL) in a flame-dried vial equipped with a magnetic stirring bar. The reaction mixture was stirred at 0 ℃ until no starting material was detected by TLC. The mixture was subjected to chromatography (silica gel, petroleum ether/ethyl acetate: 3/1 to 2/1) to afford the desired product **3**.

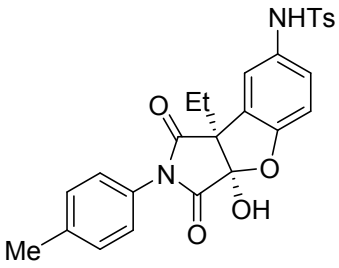


***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzenesulfonamide (3aa)**: White solid, M.P.: 122.3-122.8 ℃, >99% yield (53.6 mg), 99% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min, t_{major} = 9.5 min, t_{minor} = 19.8 min). $[\alpha]_D^{20}$ = -135.7 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.14 (s, 1H), 7.54 (d, J = 8.3 Hz, 2H), 7.29 (d, J = 8.1 Hz, 2H), 7.12 (dd, J = 9.4, 2.5 Hz, 2H), 7.07-6.94 (m, 4H), 6.86 (dd, J = 7.7, 1.4 Hz, 1H), 3.77 (s, 3H), 2.29 (s, 3H), 2.15-1.88 (m, 2H), 0.85 (t, J = 7.3 Hz, 3H).^{13}C NMR (75 MHz, DMSO-d_6) δ 174.48, 171.13, 159.56, 153.59, 143.36, 136.18, 132.10, 129.62, 128.17, 126.89, 125.85, 124.61, 123.53, 118.81, 114.58, 110.61, 107.05, 59.03, 55.54, 23.37, 20.98, 9.06.HRMS (ESI) Calcd. for $[C_{26}H_{24}N_2O_7S+Na]^+$ 531.1196; Found:531.1205.

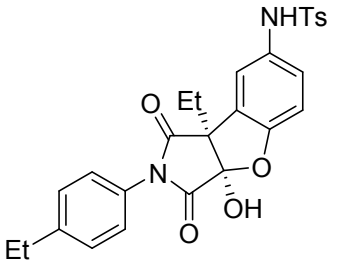


***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzene-sulfonamide (3ba):** White solid, M.P.: 215.7-216.3 ℃, >99% yield (53.9 mg), >99% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min, t_{major} = 12.7 min., t_{minor} = 20.3 min.). $[\alpha]_D^{20}$ = -125.6 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.17 (s, 1H), 7.58-7.45 (m, 5H), 7.30 (d, J = 8.0 Hz, 2H), 7.22 (dd, J = 6.2, 1.7 Hz, 2H), 7.04-6.96 (m, 2H), 6.91-6.83 (m, 1H), 2.29 (s, 3H), 2.15-1.90 (m, 2H), 0.86 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 174.64, 171.30, 153.96, 143.71, 136.55, 132.53, 131.46, 129.99, 129.77,

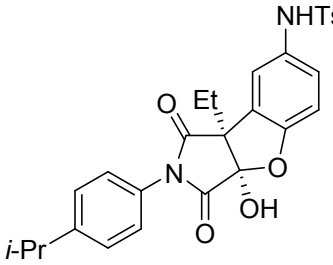
129.65, 127.29, 127.28, 126.16, 125.00, 119.16, 111.02, 107.47, 59.48, 23.75, 21.36, 9.44. HRMS (ESI) Calcd for $[C_{25}H_{22}N_2O_6S+Na]^+$ 501.1091; Found: 501.1083.



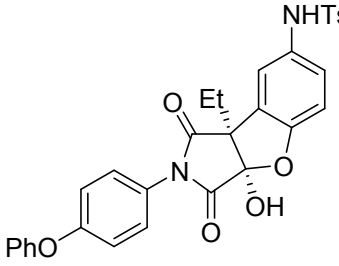
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(p-tolyl)-2,3, 3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzenesulfonamide (3ca)**: White solid, M. P.: 213.8-214.2℃, 95% yield (46.8 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min, t_{major} = 12.6 min, $t_{mino\ r}$= 23.6 min). $[\alpha]_D^{20}$ = -108.5 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.15 (s, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.29 (d, J = 7.9 Hz, 4H), 7.07 (d, J = 8.2 Hz, 2H), 7.04-6.95 (m, 2H), 6.90-6.83 (m, 1H), 2.33 (s, 3H), 2.29 (s, 3H), 2.17-1.88 (m, 2H), 0.86 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.31, 170.97, 153.53, 143.31, 138.94, 136.16, 132.09, 129.80, 129.58, 128.44, 126.86, 126.62, 125.79, 124.56, 118.74, 110.58, 107.03, 59.04, 23.33, 20.95, 20.75, 9.02. HRMS (ESI) Calcd for $[C_{26}H_{24}N_2O_6S+Na]^+$ 515.1247; Found: 515.1255.



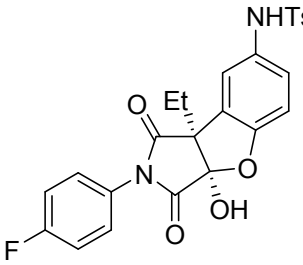
***N*-((3a*R*,8b*S*)-8b-ethyl-2-(4-ethylphenyl)-3a-hydroxy-1,3-dioxo -2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3da)**: White solid, M.P.: 116.5-117.0 ℃, >99% yield (58.8 mg), 99% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 13.0 min., t_{minor} = 22.4 min.). $[\alpha]_D^{20}$ = -129.2 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.16 (s, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.31 (dd, J = 11.1, 8.4 Hz, 4H), 7.10 (d, J = 8.4 Hz, 2H), 7.04-6.94 (m, 2H), 6.86 (dd, J = 7.7, 1.4 Hz, 1H), 2.63 (q, J = 7.6 Hz, 2H), 2.28 (s, 3H), 2.13-1.91 (m, 2H), 1.17 (t, J = 7.6 Hz, 3H), 0.86 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.29, 170.96, 153.52, 145.06, 143.27, 136.18, 132.08, 129.54, 128.62, 126.83, 126.66, 125.79, 124.58, 118.77, 110.54, 107.02, 59.03, 27.83, 23.31, 20.91, 15.39, 8.98. HRMS (ESI) Calcd for $[C_{27}H_{26}N_2O_6S+Na]^+$ 529.1404; Found: 529.1379.



***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(4-isopropyl-phenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ea)**: White solid, M.P.: 230.5-231.0 ℃, >99% yield (58.5 mg), 97% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major}= 10.6 min., t_{minor} = 13.7 min.). $[\alpha]_D^{20}$ = -134.9 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.93 (s, 1H), 9.14 (s, 1H), 7.53 (d, J = 8.2 Hz, 2H), 7.37 (d, J = 8.3 Hz, 2H), 7.29 (d, J = 8.2 Hz, 2H), 7.12 (d, J = 8.3 Hz, 2H), 7.04-6.95 (m, 2H), 6.91-6.82 (m, 1H), 3.00-2.87 (m, 1H), 2.29 (s, 3H), 2.15-1.88 (m, 2H), 1.21 (d, J = 6.9 Hz, 6H), 0.86 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.19, 170.89, 153.44, 149.48, 143.14, 136.14, 132.02, 129.45, 128.64, 127.08, 126.75, 126.59, 125.72, 124.49, 118.69, 110.45, 106.95, 58.95, 33.12, 23.63, 23.24, 20.83, 8.90. HRMS (ESI) Calcd for $[C_{28}H_{28}N_2O_6S+Na]^+$ 543.1560; Found: 543.1538.

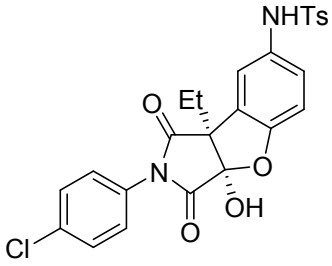


***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(4-phenoxy-phenyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3fa)**: White solid, M. P.: 116.8-117.6 ℃, 87% yield (49.6 mg), 82% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 14.6 min., t_{minor} = 28.1 min.). $[\alpha]_D^{20}$ = -101.8 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.96 (s, 1H), 9.18 (s, 1H), 7.55 (d, J = 8.2 Hz, 2H), 7.42 (t, J = 7.6 Hz, 2H), 7.29 (d, J = 8.2 Hz, 2H), 7.26-7.15 (m, 3H), 7.12-7.08 (m, 2H), 7.06 (d, J = 1.1 Hz, 2H), 7.04-6.98 (m, 2H), 6.90-6.84 (m, 1H), 2.28 (s, 3H), 2.18-1.90 (m, 2H), 0.86 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 174.72, 171.37, 157.86, 156.15, 153.96, 143.72, 136.54, 132.51, 130.74, 129.99, 129.09, 127.27, 126.14, 125.01, 124.79, 119.94, 119.19, 118.90, 111.01, 107.43, 59.44, 23.74, 21.35, 9.43. HRMS (ESI) Calcd for $[C_{31}H_{26}N_2O_7S+Na]^+$ 593.1353; Found: 593.1332.

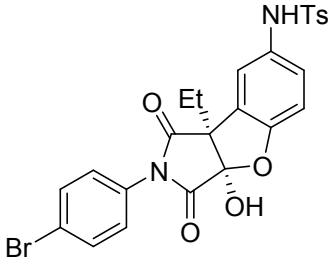


***N*-((3a*R*,8b*S*)-8b-ethyl-2-(4-fluorophenyl)-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ga)**: White solid, M. P.: 213.1-213.8 ℃, >99% yield (54.0 mg), 99% ee. HPLC condition:

Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 9.5 min., t_{minor} = 16.2 min.). $[\alpha]_D^{20}$ = -128.9 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.19 (s, 1H), 7.53 (d, J = 8.2 Hz, 2H), 7.38-7.27 (m, 6H), 7.04-6.95 (m, 2H), 6.86 (d, J = 8.3 Hz, 1H), 2.28 (s, 3H), 2.17-1.89 (m, 2H), 0.85 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.22, 170.84, 161.93 ($^1J_{C\text{-}F}$=245.0 Hz), 153.60, 143.34, 136.20, 132.11, 129.58, 129.22 ($^3J_{C\text{-}F}$=9.0 Hz), 127.25 ($^4J_{C\text{-}F}$=2.7 Hz), 126.87, 125.68, 124.69, 118.87, 116.32 ($^2J_{C\text{-}F}$=22.9 Hz), 110.61, 107.05, 59.09, 23.32, 20.94, 8.98. HRMS (ESI) Calcd for $[C_{25}H_{21}FN_2O_6S+Na]^+$ 519.0997; Found: 519.0990.

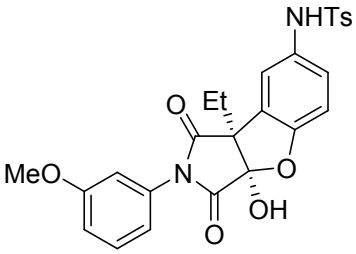


***N*-((3a*R*,8b*S*)-2-(4-chlorophenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ha):** White solid, M.P.: 104.7-105.2 ℃, >99% yield (58.2 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 10.1 min., t_{minor} = 18.6 min.). $[\alpha]_D^{20}$ = -114.7 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.92 (s, 1H), 9.16 (s, 1H), 7.62-7.50 (m, 4H), 7.35-7.25 (m, 4H), 7.04-6.95 (m, 2H), 6.86 (d, J = 8.7 Hz, 1H), 2.29 (s, 3H), 2.16-1.90 (m, 2H), 0.85 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 173.91, 170.54, 153.48, 143.14, 136.14, 133.64, 132.03, 129.79, 129.45, 129.25, 128.63, 126.75, 125.50, 124.53, 118.70, 110.48, 106.95, 58.99, 23.20, 20.83, 8.86.HRMS (ESI) Calcd for $[C_{25}H_{21}ClN_2O_6S+Na]^+$ 535.0701 (^{35}Cl), 537.0672 (^{37}Cl); Found: 535.0693 (^{35}Cl), 537.0669 (^{37}Cl).

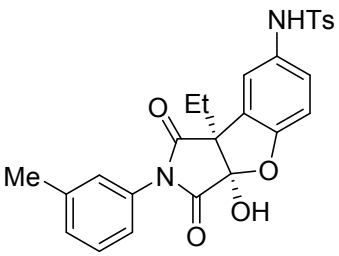


***N*-((3a*R*,8b*S*)-2-(4-bromophenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ia):** White solid, M.P.: 102.3-102.7 ℃, 93% yield (52.0 mg), 99% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 10.8 min., t_{minor} = 20.5 min.). $[\alpha]_D^{20}$ = -108.0 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.93 (s, 1H), 9.18 (s, 1H), 7.69 (d, J = 8.6 Hz, 2H), 7.53 (d, J = 8.2 Hz, 2H), 7.27 (d, J = 8.2 Hz, 2H), 7.21 (d, J = 8.6 Hz, 2H), 7.04-6.95 (m, 2H), 6.85 (d, J = 8.3 Hz, 1H), 2.27 (s, 3H),

2.16-1.88 (m, 2H), 0.83 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 174.41, 171.03, 153.99, 143.72, 136.54, 132.75, 132.52, 130.69, 129.99, 129.44, 127.27, 125.99, 125.04, 122.70, 119.18, 111.04, 107.45, 59.50, 23.72, 21.35, 9.40. HRMS (ESI) Calcd for $[C_{25}H_{21}BrN_2O_6S+Na]^+$ 579.0196 (^{79}Br), 581.0175 (^{81}Br); Found: 579.0174 (^{79}Br), 581.0156 (^{81}Br).

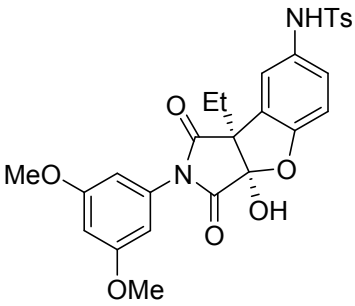


***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(3-methoxy-phenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzene-sulfonamide (3ja):** White solid, M.P.: 192.1-192.7 ℃, 99% yield (50.5 mg), 99% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min。, t_{major} = 8.7 min., t_{minor} = 14.7 min.). $[\alpha]_D^{20}$ = -138.5 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.15 (s, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.41 (t, J = 8.2 Hz, 1H), 7.30 (d, J = 8.0 Hz, 2H), 7.08-6.96 (m, 3H), 6.87 (dd, J = 7.6, 1.6 Hz, 1H), 6.81-6.72 (m, 2H), 3.76 (s, 3H), 2.29 (s, 3H), 2.16-1.88 (m, 2H), 0.86 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 174.54, 171.20, 160.17, 153.99, 143.71, 136.58, 132.56, 132.50, 130.59, 129.99, 127.27, 126.13, 124.97, 119.38, 119.15, 115.36, 113.09, 111.03, 107.45, 59.46, 55.97, 23.77, 21.35, 9.44. HRMS (ESI) Calcd for $[C_{26}H_{24}N_2O_7S+Na]^+$ 531.1196; Found: 531.1178.

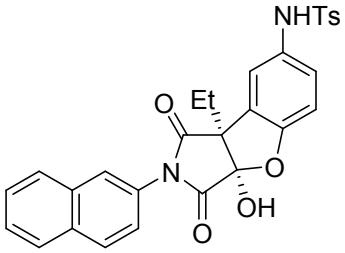


***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(m-tolyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzenesulfonamide (3ka):** White solid, M.P.: 103.4-103.9 ℃, >99% yield (56.9 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 8.3 min., t_{minor} = 11.1 min.). $[\alpha]_D^{20}$ = -124.8 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.14 (s, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.38 (t, J = 7.7 Hz, 1H), 7.34-7.23 (m, 3H), 7.06-6.95 (m, 4H), 6.90-6.83 (m, 1H), 2.32 (s, 3H), 2.29 (s, 3H), 2.17-1.87 (m, 2H), 0.87 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.15, 170.83, 153.47, 143.19, 139.02, 136.17, 132.04, 130.95, 129.81, 129.48, 129.07, 127.13, 126.78, 125.72, 124.50, 123.86,

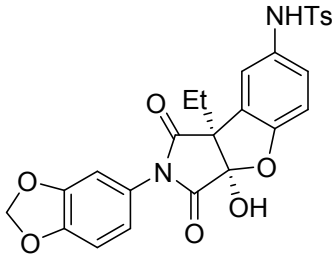
118.71, 110.49, 106.99, 59.00, 23.27, 20.86, 20.60, 8.95. HRMS (ESI) Calcd for $[C_{26}H_{24}N_2O_6S+Na]^+$ 515.1247; Found: 515.1240.



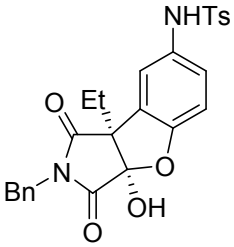
***N*-((3a*R*,8b*S*)-2-(3,5-dimethoxyphenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzene-sulfonamide (3la):** White solid, M.P.: 162.9-163.4 ℃, 85% yield (45.9 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 13.0 min., t_{minor} = 15.9 min.). $[\alpha]_D^{20}$ = -123.5 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.12 (s, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.4 Hz, 2H), 7.04-6.95 (m, 2H), 6.91-6.82 (m, 1H), 6.61 (t, J = 2.2 Hz, 1H), 6.35 (d, J = 2.2 Hz, 2H), 3.74 (s, 6H), 2.30 (s, 3H), 2.15-1.87 (m, 2H), 0.85 (t, J = 7.0 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 173.97, 170.63, 160.69, 153.56, 143.23, 136.16, 132.72, 132.03, 129.53, 126.81, 125.63, 124.45, 118.67, 110.57, 106.96, 105.24, 101.00, 58.99, 55.62, 23.32, 20.87, 8.97. HRMS (ESI) Calcd for $[C_{27}H_{26}N_2O_8S+Na]^+$ 561.1302; Found: 561.1308.



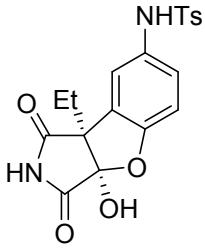
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(naphthalen-2-yl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ma):** White solid, M.P.: 101.7-102.2 ℃, >99% yield (58.0 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 16.1 min., t_{minor} = 30.5 min.). $[\alpha]_D^{20}$ =-145.2 (C 0.5, EtOH). ^1H NMR (400 MHz, DMSO-d_6) δ 9.99 (s, 1H), 9.25 (s, 1H), 8.05 (d, J = 8.8 Hz, 1H), 8.00 (dd, J = 6.8, 2.3 Hz, 2H), 7.89 (d, J = 1.7 Hz, 1H), 7.65-7.58 (m, 2H), 7.56 (d, J = 8.2 Hz, 2H), 7.35-7.27 (m, 3H), 7.07-7.00 (m, 2H), 6.91 (d, J = 9.1 Hz, 1H), 2.27 (s, 3H), 2.20-1.96 (m, 2H), 0.92 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 174.82, 171.47, 154.01, 143.73, 136.56, 133.11, 133.01, 132.55, 130.00, 129.56, 128.88, 128.52, 128.19, 127.79, 127.44, 127.28, 126.49, 126.17, 125.03, 124.72, 119.21, 111.07, 107.56, 59.59, 23.81, 21.34, 9.52. HRMS (ESI) Calcd for $[C_{29}H_{24}N_2O_6S+Na]^+$ 551.1247; Found: 551.1236.



***N*-((3a*R*,8b*S*)-2-(benzo[d][1,3]dioxol-5-yl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c] pyrrol-7-yl)-4-methylbenzenesulfonamide (3na):** White solid, M.P.: 204.3-204.8 ℃, >99% yield (62.3 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 15.7 min., t_{minor} = 30.8 min.). $[\alpha]_D^{20}$ = -118.5 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 9.13 (s, 1H), 7.54 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.2 Hz, 2H), 7.05-6.96 (m, 3H), 6.90-6.81 (m, 2H), 6.65 (dd, J = 8.3, 1.9 Hz, 1H), 6.09 (s, 2H), 2.29 (s, 3H), 2.16-1.87 (m, 2H), 0.85 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, DMSODMSO-d_6) δ 174.74, 171.38, 153.99, 148.19, 148.15, 143.72, 136.55, 132.46, 129.99, 127.28, 126.13, 124.95, 124.85, 121.20, 119.16, 111.00, 108.79, 108.33, 107.39, 102.46, 59.40, 23.76, 21.36, 9.44. HRMS (ESI) Calcd for $[C_{26}H_{22}N_2O_8S+Na]^+$ 545.0989.1247; Found: 545.0968.

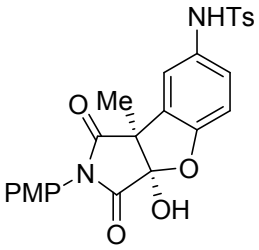


***N*-((3a*R*,8b*S*)-2-benzyl-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzene-sulfonamide (3oa):** White solid, M.P.: 85.2-86.2 ℃, 97% yield (47.7 mg), 95% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min, t_{major} = 7.5 min., t_{minor} = 10.1 min.). $[\alpha]_D^{20}$ = -101.7 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.91 (s, 1H), 9.05 (s, 1H), 7.52 (d, J = 8.3 Hz, 2H), 7.32-7.22 (m, 5H), 7.14-7.06 (m, 2H), 7.00-6.92 (m, 2H), 6.80 (d, J = 8.4 Hz, 1H), 4.62 (s, 2H), 2.31 (s, 3H), 2.02-1.82 (m, 2H), 0.70 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.60, 171.30, 153.24, 143.13, 136.16, 135.29, 131.94, 129.45, 128.58, 127.73, 127.25, 126.72, 125.70, 124.39, 118.59, 110.27, 107.04, 58.90, 41.78, 23.13, 20.88, 8.84. HRMS (ESI) Calcd for $[C_{26}H_{24}N_2O_6S+Na]^+$ 515.1247; Found: 515.1233.

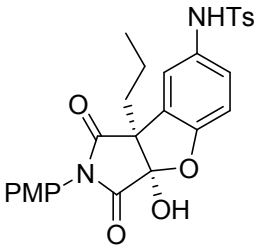


***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzenesulfonamide (3pa):** White solid, M.P.: 110.8-111.2 ℃, >99% yield (43.9 mg), 97% ee. HPLC condition: Chiralpak IC (hexane/isopropanol: 80/20, 1.0 mL/min., t_{major} = 11.9 min., t_{minor} = 19.1 min.). $[\alpha]_D^{20}$ = -94.8 (C 1.0, EtOH). ^1H NMR (300

MHz, DMSO-d_6) δ 11.99 (brs, 1H), 9.92 (s, 1H), 8.85 (s, 1H), 7.55 (d, J = 7.9 Hz, 2H), 7.31 (d, J = 7.9 Hz, 2H), 6.95 (d, J = 6.2 Hz, 2H), 6.79 (d, J = 9.1 Hz, 1H), 2.31 (s, 3H), 1.88 (ddd, J = 31.5, 14.3, 7.4 Hz, 2H), 0.78 (t, J = 7.0 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 176.00, 172.98, 153.45, 143.28, 136.31, 131.88, 129.59, 126.86, 126.21, 124.25, 118.66, 110.27, 107.93, 59.74, 22.89, 20.98, 8.98. HRMS (ESI) Calcd for $[C_{19}H_{18}N_2O_6S+Na]^+$ 425.0778; Found: 425.0771.

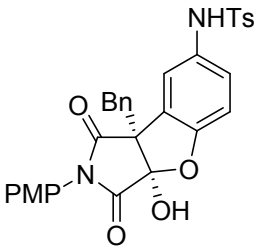


***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-8b-methyl-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzo-furo[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3qa):** White solid, M.P.: 229.8-230.4 ℃, >99% yield (53.1 mg), 94% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 19.5 min., t_{minor} = 39.3 min.). $[\alpha]_D^{20}$ = -116.7 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.94 (s, 1H), 8.99 (s, 1H), 7.55 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.0 Hz, 2H), 7.20-7.13(m, 2H), 7.06-6.96 (m, 4H), 6.86 (d, J = 8.4 Hz, 1H), 3.78 (s, 3H), 2.30 (s, 3H), 1.50 (s, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.82, 170.65, 159.37, 153.02, 143.20, 136.22, 132.09, 129.49, 128.17, 127.20, 126.78, 124.35, 123.61, 118.41, 114.31, 110.41, 107.10, 55.42, 54.96, 20.88, 15.56. HRMS (ESI) Calcd for $[C_{25}H_{22}N_2O_7S+Na]^+$ 517.1040; Found: 517.1033.

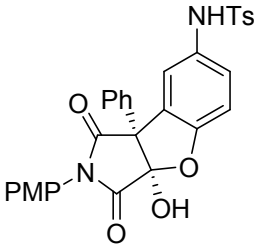


***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-propyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methyl-benzenesulfonamide (3ra):** White solid, M.P.: 196.5-197.0 ℃, >99% yield (62.2 mg), 99% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min, t_{major} = 16.8 min., t_{minor} = 35.5 min.). $[\alpha]_D^{20}$ = -132.9 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.93 (s, 1H), 9.16 (s, 1H), 7.53 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.2 Hz, 2H), 7.11 (dd, J = 9.2, 2.3 Hz, 2H), 7.07-6.98 (m, 3H), 6.95 (d, J = 2.1 Hz, 1H), 6.86 (d, J = 8.6 Hz, 1H), 3.77 (s, 3H), 2.29 (s, 3H), 2.04-1.78 (m, 2H), 1.34-1.09 (m, 2H), 0.86 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.51, 171.13, 159.58, 153.56, 143.36, 136.16, 132.05, 129.62, 128.19, 126.94,

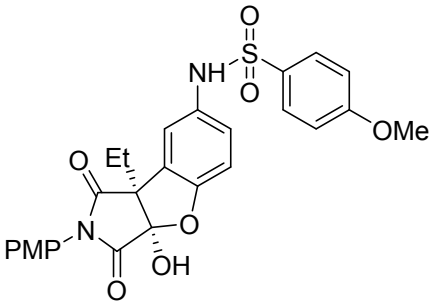
125.95, 124.89, 123.54, 118.94, 114.60, 110.66, 107.07, 58.74, 55.56, 32.28, 21.03, 17.71, 14.37. HRMS (ESI) Calcd for $[C_{27}H_{26}N_2O_7S+Na]^+$ 545.1353; Found: 545.1362.



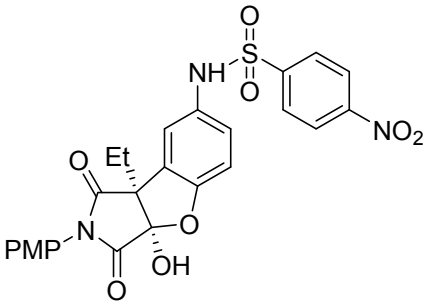
***N*-((3a*R*,8b*S*)-8b-benzyl-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3sa):** White solid, M.P.: 112.3-112.8 ℃, >99% yield (57.7 mg), 99% ee. HPLC condition: Chiralpak IC (hexane/C_2H_5OH: 90/10, 0.8 mL/min., t_{minor} = 33.1 min., t_{major} = 36.9 min.). $[\alpha]_D^{20}$ = -175.7 (C 1.0, EtOH). ^1H NMR (400 MHz, DMSO-d_6) δ 10.02 (s, 1H), 9.48 (s, 1H), 7.60 (d, J = 8.2 Hz, 2H), 7.33 (dd, J = 8.9, 5.2 Hz, 4H), 7.30-7.26 (m, 3H), 7.25 (d, J = 2.2 Hz, 1H), 6.97 (dd, J = 8.6, 2.3 Hz, 1H), 6.95-6.89 (m, 2H), 6.87 (d, J = 8.6 Hz, 1H), 6.62-6.54 (m, 2H), 3.74 (s, 3H), 3.38 (s, 2H), 2.32 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 173.61, 170.95, 159.89, 154.09, 143.74, 136.81, 135.42, 132.58, 131.11, 130.07, 128.58, 128.21, 127.61, 127.29, 126.02, 124.87, 123.59, 119.58, 114.84, 111.12, 107.15, 61.49, 55.86, 35.49, 21.39. HRMS (ESI) Calcd for $[C_{31}H_{26}N_2O_7S+Na]^+$ 593.1353; Found: 593.1361.



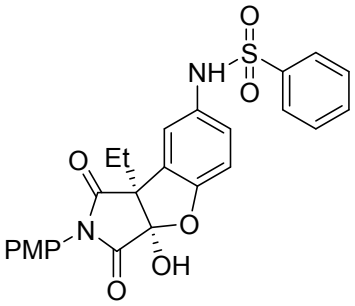
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ta):** White solid, M.P.: 251.7-252.4 ℃, >99% yield (57.3 mg), >99% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{minor} = 7.0 min., t_{major} = 12.0 min.). $[\alpha]_D^{20}$ = -72.8 (C 1.0, EtOH). ^1H NMR (300 MHz, DMSO-d_6) δ 9.97 (s, 1H), 9.00 (s, 1H), 7.52 (d, J = 8.2 Hz, 2H), 7.42-7.30 (m, 5H), 7.26 (d, J = 8.9 Hz, 2H), 7.18 (dd, J = 8.7, 2.3 Hz, 1H), 7.07 (d, J = 8.9 Hz, 2H), 7.02 (d, J = 8.7 Hz, 1H), 6.96-6.85 (m, 3H), 3.79 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 173.97, 171.05, 159.75, 154.40, 143.43, 135.95, 133.73, 132.36, 129.69, 128.89, 128.41, 126.93, 125.86, 125.22, 123.58, 120.26, 114.66, 111.22, 107.68, 64.95, 55.61, 21.10. HRMS (ESI) Calcd for $[C_{30}H_{24}N_2O_7S+Na]^+$ 579.1196; Found: 579.1199.



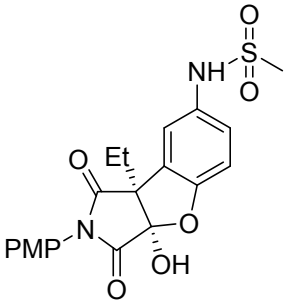
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methoxy- benzenesulfonamide (3ab):** White solid, M. P.: 152.9-153.6 ℃, 93% yield (48.7 mg), 94% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min, t_{major} = 17.8 min., t_{minor} = 58.1 min.). $[\alpha]_D^{20}$ = -134.7 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.85 (s, 1H), 9.12 (s, 1H), 7.58 (d, J = 8.9 Hz, 2H), 7.16-7.10 (m, 2H), 7.06-6.96 (m, 6H), 6.86 (d, J = 8.4 Hz, 1H), 3.78 (s, 3H), 3.75 (s, 3H), 2.16-1.89 (m, 2H), 0.86 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.34, 171.00, 162.40, 159.44, 153.45, 132.12, 130.63, 128.94, 128.03, 125.71, 124.53, 123.46, 118.74, 114.45, 114.21, 110.44, 106.93, 58.91, 55.55, 55.43, 23.25, 8.94. HRMS (ESI) Calcd for $[C_{26}H_{24}N_2O_8S+Na]^+$ 547.1146; Found: 547.1156.



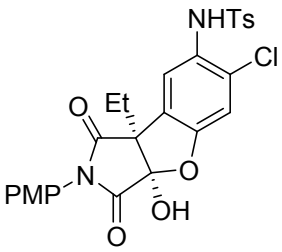
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro [2,3-c]pyrrol-7-yl)-4-nitrobenzene-sulfonamide (3ac):** White solid, M.P.: 180.3-181.1 ℃, 99% yield (53.7 mg), 92% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 14.6 min., t_{minor} = 24.8 min.). $[\alpha]_D^{20}$ = -102.5 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.34 (brs, 1H), 9.17 (s, 1H), 8.34 (d, J = 8.7 Hz, 2H), 7.89 (d, J = 8.7 Hz, 2H), 7.12 (d, J = 8.9 Hz, 2H), 7.08-6.99 (m, 3H), 6.98-6.94 (m, 1H), 6.91 (d, J = 8.6 Hz, 1H), 3.78 (s, 3H), 2.17-1.87 (m, 2H), 0.85 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.35, 170.98, 159.52, 154.15, 149.83, 144.43, 130.95, 128.49, 128.11, 126.09, 125.56, 124.52, 123.45, 119.64, 114.51, 110.83, 107.09, 58.96, 55.48, 23.30, 9.03. HRMS (ESI) Calcd for $[C_{25}H_{21}N_3O_9S+Na]^+$ 562.0891; Found: 562.0886.



***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)benzenesulfonamide (3ad):** White solid, M.P.: 106.8-107.4 ℃, 87% yield (43.3 mg), 98% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 53.8 min., t_{minor} = 84.9 min.). $[\alpha]_D^{20}$ = -141.4 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.04 (s, 1H), 9.12 (s, 1H), 7.70-7.65 (m, 2H), 7.61-7.56 (m, 1H), 7.55-7.48 (m, 2H), 7.15-7.09 (m, 2H), 7.06-6.97 (m, 4H), 6.89- 6.84 (m, 1H), 3.78 (s, 3H), 2.14-1.89 (m, 2H), 0.85 (t, J = 7.0 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.38, 171.01, 159.47, 153.58, 139.04, 132.87, 131.87, 129.14, 128.09, 126.76, 125.80, 124.62, 123.45, 118.74, 114.49, 110.57, 106.98, 58.94, 55.46, 23.27, 9.01. HRMS (ESI) Calcd. for $[C_{25}H_{22}N_2O_7S+Na]^+$ 517.1040; Found: 517.1034.

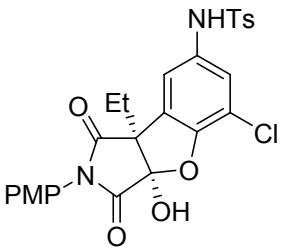


***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl) methanesulfonamide (3ae):** White solid, M.P.: 146.2-147.0 ℃, >99% yield (45.7 mg), 81% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 10.2 min., t_{minor} = 25.6 min.). $[\alpha]_D^{20}$ = -121.5 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.52 (s, 1H), 9.18 (s, 1H), 7.25 (d, J = 2.1 Hz, 1H), 7.21-7.14 (m, 3H), 7.06-7.01 (m, 2H), 6.98 (d, J = 8.6 Hz, 1H), 3.78 (s, 3H), 2.92 (s, 3H), 2.31-2.01 (m, 2H), 0.98 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 174.94, 171.53, 159.93, 154.02, 133.10, 128.63, 126.54, 124.74, 123.92, 119.02, 114.96, 111.13, 107.38, 59.71, 55.91, 39.23, 23.97, 9.68. HRMS (ESI) Calcd for $[C_{20}H_{20}N_2O_7S+Na]^+$ 455.0883; Found: 455.0870.

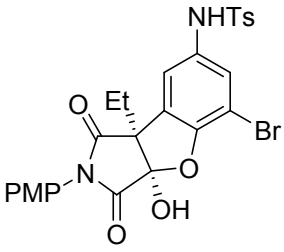


***N*-((3a*R*,8b*S*)-6-chloro-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c] pyrrol-7-yl)-4-methylbenzenesulfonamide (3af):** White solid, M.P.: 172.9-173.3 ℃, 94% yield (50.9 mg), 65% ee. HPLC condition: Chiralpak AD-H (hexane/ C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 6.6

min., t_{minor} = 15.2 min.). $[\alpha]_D^{20}$ = -42.8 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.18 (s, 1H), 9.46 (s, 1H), 7.57 (d, J = 8.2 Hz, 2H), 7.33 (d, J = 8.2 Hz, 2H), 7.22-7.13 (m, 2H), 7.10-7.03 (m, 2H), 6.99 (dd, J = 15.0, 2.0 Hz, 2H), 3.78 (s, 3H), 2.30 (s, 3H), 2.18-.88 (m, 2H), 0.85 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 173.76, 170.32, 159.56, 149.50, 143.58, 135.87, 133.03, 129.70, 128.19, 127.50, 126.82, 123.39, 123.34, 117.05, 114.47, 114.12, 107.66, 59.60, 55.49, 23.46, 20.92, 8.90. HRMS (ESI) Calcd for $[C_{26}H_{23}ClN_2O_7S+Na]^+$ 565.0807 (^{35}Cl), 567.0777 (^{37}Cl); Found: 565.0789 (^{35}Cl), 567.0783 (^{37}Cl).

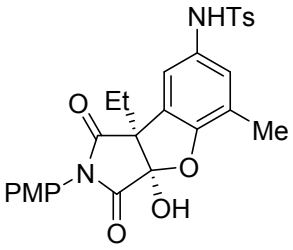


***N*-((3a*R*,8b*S*)-5-chloro-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ag):** White solid, M.P.: 112.2-112.7 ℃, 97% yield (52.7 mg), 68% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 6.9 min., t_{minor} = 15.6 min.). $[\alpha]_D^{20}$ = -44.3 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.18 (brs, 1H), 9.46 (brs, 1H), 7.57 (d, J = 8.2 Hz, 2H), 7.33 (d, J = 8.2 Hz, 2H), 7.17 (d, J = 8.9 Hz, 2H), 7.10-7.03 (m, 2H), 7.03-6.94 (m, 2H), 3.78 (s, 3H), 2.30 (s, 3H), 2.16-1.91 (m, 2H), 0.86 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 173.82, 170.39, 159.60, 149.55, 143.65, 135.87, 133.07, 129.76, 128.26, 127.54, 126.88, 123.42, 123.36, 117.07, 114.51, 114.17, 107.70, 59.65, 55.52, 23.52, 20.98, 8.96. HRMS (ESI) Calcd for $[C_{26}H_{23}ClN_2O_7S+Na]^+$ 565.0807 (^{35}Cl), 567.0777 (^{37}Cl); Found: 565.0792 (^{35}Cl), 567.0790 (^{37}Cl).

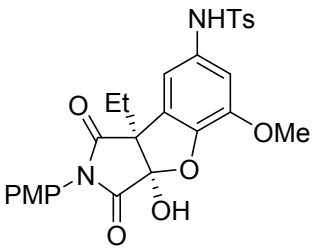


***N*-((3a*R*,8b*S*)-5-bromo-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ah):** White solid, M.P.: 134.3-134.8 ℃, 62% yield (36.1 mg), 49% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 7.1 min., t_{minor} = 17.9 min.). $[\alpha]_D^{20}$ = -17.9 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.17 (s, 1H), 9.45 (s, 1H), 7.59 (d, J = 8.3 Hz, 2H), 7.33 (d, J = 8.0 Hz, 2H), 7.23-7.13 (m,

3H), 7.06-6.98 (m, 3H), 3.78 (s, 3H), 2.30 (s, 3H), 2.19-1.91 (m, 2H), 0.87 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 173.87, 170.42, 159.58, 151.01, 143.61, 135.89, 133.27, 129.73, 128.23, 127.08, 126.87, 126.07, 123.41, 117.59, 114.49, 107.36, 101.94, 59.85, 55.49, 23.59, 20.96, 8.97. HRMS (ESI) Calcd for $[C_{26}H_{23}BrN_2O_7S+Na]^+$ 609.0302 (^{79}Br), 611.0281 (^{81}Br); Found: 609.0296 (^{79}Br), 611.0289 (^{81}Br).



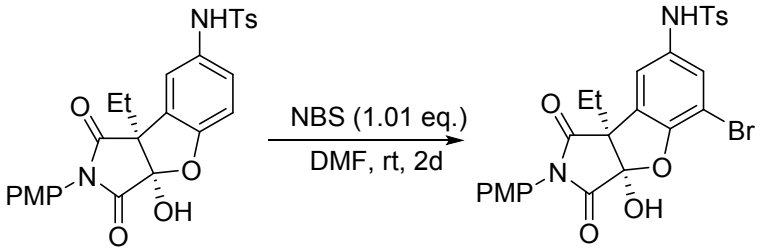
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-5-methyl-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c] pyrrol-7-yl)-4-methylbenzenesulfonamide (3ai):** White solid, M.P.: 98.9-99.4 ℃, 96% yield (50.3 mg), 75% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 8.4 min., t_{minor} = 38.1 min.). $[\alpha]_D^{20}$ =-73.7 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.89 (brs, 1H), 9.08 (brs, 1H), 7.56 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.1 Hz, 2H), 7.17-7.08 (m, 2H), 7.07-6.97 (m, 2H), 6.84 (dd, J = 18.2, 1.7 Hz, 2H), 3.78 (s, 3H), 2.30 (s, 3H), 2.09 (s, 3H), 2.07-1.86 (m, 2H), 0.85 (t, J = 7.3 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.53, 171.19, 159.50, 152.10, 143.26, 136.31, 131.93, 129.57, 128.13, 126.88, 125.40, 125.04, 123.54, 120.25, 115.93, 114.52, 106.70, 59.30, 55.51, 23.44, 20.95, 14.97, 9.05. HRMS (ESI) Calcd for $[C_{27}H_{26}N_2O_7S+Na]^+$ 545.1353; Found: 545.1363.



***N*-((3a*R*,8b*S*)-3a-hydroxy-5-methoxy-2-(4-methoxy-phenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c] pyrrol-7-yl)-4-methylbenzene- sulfonamide (3aj):** White solid, M.P.: 192.4-193.1 ℃, 96% yield (51.5 mg), 68% ee. HPLC condition: Chiralpak AD-H (hexane/C_2H_5OH: 70/30, 1.0 mL/min., t_{major} = 47.1 min., t_{minor} = 83.7 min.). $[\alpha]_D^{20}$ = -57.2 (C 1.0, EtOH). ^{1}H NMR (300 MHz, DMSO-d_6) δ 9.93 (brs, 1H), 9.11 (brs, 1H), 7.57 (d, J = 8.3 Hz, 2H), 7.31 (d, J = 7.9 Hz, 2H), 7.13 (d, J = 9.0 Hz, 2H), 7.02 (d, J = 9.1 Hz, 2H), 6.73 (d, J = 1.9 Hz, 1H), 6.55 (d, J = 2.0 Hz, 1H), 3.79 (s, 3H), 3.71 (s, 3H), 2.31 (s, 3H), 2.13-1.85 (m, 2H), 0.82 (t, J = 7.2 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d_6) δ174.28, 170.93, 159.44, 143.73, 143.26, 141.89, 136.08, 132.77,

129.50, 128.08, 126.89, 126.08, 123.47, 114.45, 109.45, 107.92, 107.06, 59.30, 55.75, 55.44, 23.14, 20.90, 8.91. HRMS (ESI) Calcd for $[C_{27}H_{26}N_2O_8S+Na]^+$ 561.1302; Found: 561.1319.

5. Tansformation of 3aa:



3aa
99% ee
0.1 mmol

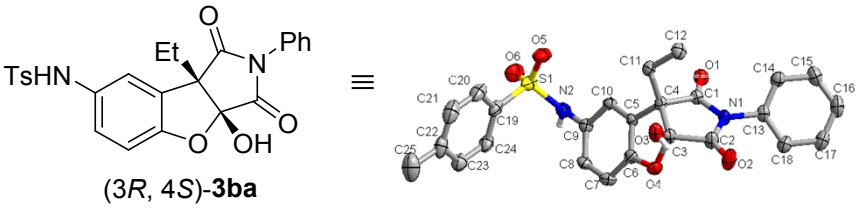
3ah
67% yield, 98% ee

3aa (50.8 mg, 0.1 mmol, 1 equiv.) was dissolved in 1.0 mL of dimethyl formamide, NBS (17.9 mg, 0.101 mmol, 1.01 equiv.) was added to the mixture, and the mixture was stirred at room temperature for 2 days. After the reaction finished, the mixture was extracted with EtOAc (3×10 mL). The organic phase was washed with water, brine, dried over anhydrous Na_2SO_4 and concentrated *in vacuo* to get the crude product, which was purified by column chromatography on silica gel eluting with PE/EA (5:1to2:1) to afford product **3ah** (39.4 mg, 67% yield, 98% ee).

References:

[1] (*a*) Y. Yang, H. X. Ren, F. Chen, Z. B. Zhang, Y. Zou, C. Chen, X. J. Song, F. Tian, L. Peng and L. X. Wang, *Org. Lett*. 2017, **19**, 2805-2808. (*b*) M. Xiang, C. Y. Li, X. J. Song, Y. Zou, Z. C. Huang, X. Li, F. Tian and L. X. Wang, *Chem. Commun.* 2020, **56**, 14825-14828.

[2] (*a*) L. H. Liao, C. Shu, M. M. Zhang, Y. J. Liao, X. Y. Hu, Y. H. Zhang, Z. J. Wu, W. C. Yuan and X. M. Zhang, *Angew. Chem. Int. Ed*. 2014, **53**, 10471-10475. (*b*) M. D. Ganton and M. A. Kerr, *J. Org. Chem*. 2007, **72**, 574-582.



***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ba)**

Table 1 Crystal data and structure refinement for 3ba.

Identification code	**3ba**
Empirical formula	$C_{25}H_{22}N_2O_6S$
Formula weight	478.50
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1$
a/Å, b/Å, c/Å	9.9946(7), 6.9904(7), 16.0125(12)
α/°, β/°, γ/°	90, 92.321(7), 90
Volume/Å^3	1117.82(16)
Z, ρ_{calc}g/cm^3, μ/mm^{-1},F(000)	2, 1.422, 1.682, 500.0
Crystal size/mm^3	0.15 × 0.11 × 0.1
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/°	10.25 to 141.818
Index ranges	-11 ≤ h ≤ 12, -7 ≤ k ≤ 8, -19 ≤ l ≤ 17
Reflections collected	9088
Independent reflections	3889 [R_{int} = 0.0349, R_{sigma} = 0.0431]
Data/restraints/parameters	3889/2/314
Goodness-of-fit on F^2	1.029
Final R indexes [I>=2σ (I)]	R_1 = 0.0420, wR_2 = 0.1000
Final R indexes [all data]	R_1 = 0.0504, wR_2 = 0.1074
Largest diff. peak/hole / e Å^{-3}	0.14/-0.23

Flack parameter	-0.009(14)

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

Atom	*x*	*y*	*z*	U(eq)
C1	7495(3)	8927(6)	6782(2)	38.6(7)
C2	6271(4)	6501(7)	7375(2)	51.4(10)
C3	5951(4)	6393(6)	6431(2)	44.9(9)
C4	6592(3)	8203(5)	6061(2)	37.5(8)
C5	7419(3)	7339(5)	5381(2)	37.0(7)
C6	7420(3)	5392(6)	5470(2)	42.0(8)
C7	8101(4)	4201(6)	4952(3)	51.5(9)
C8	8780(3)	5056(6)	4307(3)	47.9(9)
C9	8797(3)	7026(6)	4213(2)	41.6(8)
C10	8115(3)	8206(6)	4752(2)	39.3(7)
C11	5623(4)	9786(6)	5773(2)	45.2(9)
C12	4854(4)	10712(7)	6471(3)	55.9(11)
C13	7718(3)	8511(6)	8336(2)	44.1(9)
C14	7800(4)	10411(7)	8550(3)	53.8(10)
C15	8276(5)	10897(9)	9348(3)	68.6(13)
C16	8624(5)	9519(9)	9922(3)	71.7(16)
C17	8540(5)	7612(9)	9697(3)	66.6(13)
C18	8096(4)	7092(7)	8894(2)	55.4(10)
C19	7980(4)	7235(7)	2162(2)	55.8(11)
C20	6725(5)	7718(9)	1827(3)	72.3(16)
C21	6033(5)	6388(11)	1338(3)	85(2)
C22	6546(5)	4618(10)	1174(3)	77.0(17)
C23	7803(5)	4143(9)	1524(3)	71.4(14)

C24	8517(5)	5448(8)	2008(3)	64.9(13)
C25	5781(7)	3192(14)	618(4)	115(3)
N1	7191(3)	7967(5)	7512.8(18)	43.6(7)
N2	9565(3)	7871(5)	3574(2)	48.9(8)
O1	8321(3)	10173(5)	6741.9(16)	51.1(7)
O2	5795(4)	5503(6)	7887.7(19)	77.4(11)
O3	4610(3)	6240(5)	6232.2(16)	55.6(8)
O4	6675(3)	4774(4)	6127.8(17)	51.3(7)
O5	7921(4)	10294(5)	3057(2)	75.7(10)
O6	9965(4)	9623(6)	2293(2)	83.5(12)
S1	8876.9(11)	8950.7(16)	2763.1(7)	58.0(3)

Table 3 Anisotropic Displacement Parameters ($Å^2×10^3$) for 3ba. 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}
C1	32.5(15)	46(2)	37.4(16)	-3.1(16)	5.0(12)	-5.8(14)
C2	49(2)	62(3)	43(2)	1.3(19)	4.4(16)	-21.0(19)
C3	45.5(19)	51(2)	38.2(18)	0.9(16)	4.8(14)	-16.5(17)
C4	34.8(16)	43(2)	34.7(16)	-0.2(14)	5.6(13)	-7.3(14)
C5	36.2(16)	38(2)	36.7(16)	-2.1(14)	1.3(12)	-2.6(13)
C6	37.6(17)	43(2)	44.9(19)	1.4(16)	-3.0(14)	-7.3(15)
C7	54(2)	36(2)	64(2)	-0.3(18)	5.4(18)	2.2(17)
C8	43.4(18)	43(2)	58(2)	-7.9(18)	6.2(16)	6.4(16)
C9	35.6(16)	47(2)	42.2(18)	-0.2(16)	3.8(14)	2.3(14)
C10	39.0(17)	37(2)	42.4(17)	0.8(15)	4.4(13)	-1.2(14)
C11	41.3(18)	51(2)	43.4(18)	3.2(16)	4.6(15)	-1.1(15)
C12	50(2)	66(3)	52(2)	-3(2)	10.4(17)	6.9(18)
C13	36.3(17)	59(3)	36.9(18)	-2.8(16)	3.3(13)	-6.8(15)

C14	53(2)	60(3)	49(2)	2.5(19)	-0.5(17)	-6.1(19)
C15	69(3)	80(4)	57(3)	-19(2)	3(2)	-11(2)
C16	63(3)	109(5)	43(2)	-11(3)	-2.2(19)	-10(3)
C17	57(2)	97(4)	46(2)	12(2)	-2.3(18)	3(2)
C18	52(2)	68(3)	45(2)	4(2)	1.5(17)	1.4(19)
C19	54(2)	71(3)	43(2)	12.6(19)	14.6(17)	20(2)
C20	64(3)	96(4)	58(3)	17(3)	18(2)	39(3)
C21	51(3)	150(6)	56(3)	12(3)	7(2)	29(3)
C22	59(3)	129(6)	44(2)	6(3)	11.6(19)	-1(3)
C23	74(3)	86(4)	54(3)	2(3)	4(2)	15(3)
C24	59(3)	84(4)	51(2)	6(2)	1.8(19)	24(2)
C25	78(4)	186(9)	80(4)	-15(5)	5(3)	-24(5)
N1	42.9(15)	52(2)	36.0(15)	-0.4(14)	1.1(12)	-11.7(14)
N2	41.9(17)	54(2)	51.6(18)	-0.6(15)	15.7(13)	8.1(14)
O1	47.4(14)	57.0(19)	49.6(14)	-2.3(13)	9.1(11)	-21.2(13)
O2	91(2)	95(3)	46.2(16)	12.7(16)	5.2(15)	-55(2)
O3	43.8(14)	77(2)	46.6(15)	-2.2(14)	4.1(11)	-23.4(13)
O4	59.6(16)	43.0(16)	52.0(14)	6.4(12)	8.6(12)	-11.5(12)
O5	92(2)	60(2)	76(2)	12.4(17)	26.4(18)	28.3(18)
O6	96(3)	80(3)	77(2)	14.7(19)	43(2)	0(2)
S1	64.4(6)	55.6(7)	55.8(6)	13.1(5)	25.9(5)	17.5(5)

Table 4 Bond Lengths for 3ba.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
C1	C4	1.523(5)	C11	C12	1.526(5)
C1	N1	1.393(4)	C13	C14	1.373(6)
C1	O1	1.204(4)	C13	C18	1.378(6)
C2	C3	1.535(5)	C13	N1	1.450(5)

C2	N1	1.388(5)	C14	C15	1.387(6)
C2	O2	1.191(5)	C15	C16	1.367(8)
C3	C4	1.547(5)	C16	C17	1.383(8)
C3	O3	1.369(4)	C17	C18	1.390(6)
C3	O4	1.438(5)	C19	C20	1.386(6)
C4	C5	1.518(5)	C19	C24	1.386(7)
C4	C11	1.529(5)	C19	S1	1.760(5)
C5	C6	1.368(5)	C20	C21	1.383(9)
C5	C10	1.387(5)	C21	C22	1.369(9)
C6	C7	1.374(6)	C22	C23	1.395(7)
C6	O4	1.384(4)	C22	C25	1.521(9)
C7	C8	1.394(6)	C23	C24	1.378(8)
C8	C9	1.385(6)	N2	S1	1.630(4)
C9	C10	1.391(5)	O5	S1	1.432(3)
C9	N2	1.432(5)	O6	S1	1.427(3)

Table 5 Bond Angles for 3ba.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
N1	C1	C4	109.5(3)	C12	C11	C4	114.7(3)
O1	C1	C4	125.9(3)	C14	C13	C18	121.5(4)
O1	C1	N1	124.5(3)	C14	C13	N1	119.7(4)
N1	C2	C3	107.6(3)	C18	C13	N1	118.8(4)
O2	C2	C3	125.3(4)	C13	C14	C15	118.8(5)
O2	C2	N1	127.1(4)	C16	C15	C14	121.0(5)
C2	C3	C4	105.3(3)	C15	C16	C17	119.6(4)
O3	C3	C2	113.3(3)	C16	C17	C18	120.4(5)
O3	C3	C4	113.1(3)	C13	C18	C17	118.7(5)
O3	C3	O4	111.2(3)	C20	C19	C24	120.1(5)

O4	C3	C2	106.5(3)	C20	C19	S1	118.6(4)
O4	C3	C4	107.0(3)	C24	C19	S1	121.3(3)
C1	C4	C3	102.9(3)	C21	C20	C19	118.7(5)
C1	C4	C11	109.6(3)	C22	C21	C20	122.3(5)
C5	C4	C1	110.7(3)	C21	C22	C23	118.4(6)
C5	C4	C3	101.3(3)	C21	C22	C25	121.4(6)
C5	C4	C11	115.3(3)	C23	C22	C25	120.1(6)
C11	C4	C3	116.1(3)	C24	C23	C22	120.4(5)
C6	C5	C4	108.6(3)	C23	C24	C19	120.1(4)
C6	C5	C10	120.8(3)	C1	N1	C13	123.6(3)
C10	C5	C4	130.6(3)	C2	N1	C1	112.8(3)
C5	C6	C7	122.6(4)	C2	N1	C13	123.5(3)
C5	C6	O4	113.0(3)	C9	N2	S1	122.6(3)
C7	C6	O4	124.4(4)	C6	O4	C3	107.8(3)
C6	C7	C8	117.1(4)	N2	S1	C19	108.0(2)
C9	C8	C7	121.0(3)	O5	S1	C19	107.1(2)
C8	C9	C10	120.9(3)	O5	S1	N2	107.91(19)
C8	C9	N2	119.8(3)	O6	S1	C19	108.5(2)
C10	C9	N2	119.3(4)	O6	S1	N2	105.5(2)
C5	C10	C9	117.7(4)	O6	S1	O5	119.4(2)

Table 6 Hydrogen Bonds for 3ba.

D	H	A	d(D-H)/Å	d(H-A)/Å	d(D-A)/Å	D-H-A/°
N2	H2	O1[1]	0.84(2)	2.07(3)	2.892(4)	167(4)
O3	H3	O5[2]	0.82	2.30	2.892(4)	129.8

[1]2-X,-1/2+Y,1-Z; [2]1-X,-1/2+Y,1-Z

Table 7 Torsion Angles for 3ba.

A	B	C	D	Angle/°	A	B	C	D	Angle/°
C1	C4	C5	C6	99.1(4)	C18	C13	N1	C2	43.4(5)
C1	C4	C5	C10	-80.0(5)	C19	C20	C21	C22	-0.1(8)
C1	C4	C11	C12	-51.2(4)	C20	C19	C24	C23	-0.3(7)
C2	C3	C4	C1	13.0(4)	C20	C19	S1	N2	137.9(3)
C2	C3	C4	C5	127.6(3)	C20	C19	S1	O5	21.9(4)
C2	C3	C4	C11	-106.7(4)	C20	C19	S1	O6	-108.2(4)
C2	C3	O4	C6	-127.1(3)	C20	C21	C22	C23	0.9(8)
C3	C2	N1	C1	2.6(5)	C20	C21	C22	C25	-178.6(5)
C3	C2	N1	C13	179.2(4)	C21	C22	C23	C24	-1.4(7)
C3	C4	C5	C6	-9.5(4)	C22	C23	C24	C19	1.1(7)
C3	C4	C5	C10	171.5(4)	C24	C19	C20	C21	-0.3(7)
C3	C4	C11	C12	64.9(4)	C24	C19	S1	N2	-43.4(4)
C4	C1	N1	C2	6.4(5)	C24	C19	S1	O5	-159.4(4)
C4	C1	N1	C13	-170.3(3)	C24	C19	S1	O6	70.4(4)
C4	C3	O4	C6	-14.9(3)	C25	C22	C23	C24	178.1(5)
C4	C5	C6	C7	-179.1(3)	N1	C1	C4	C3	-12.1(4)
C4	C5	C6	O4	0.8(4)	N1	C1	C4	C5	-119.6(3)
C4	C5	C10	C9	179.8(3)	N1	C1	C4	C11	112.0(3)
C5	C4	C11	C12	-176.9(3)	N1	C2	C3	C4	-10.1(5)
C5	C6	C7	C8	-1.5(6)	N1	C2	C3	O3	-134.2(4)
C5	C6	O4	C3	9.1(4)	N1	C2	C3	O4	103.3(4)
C6	C5	C10	C9	0.8(5)	N1	C13	C14	C15	178.3(4)
C6	C7	C8	C9	2.0(6)	N1	C13	C18	C17	-176.9(4)
C7	C6	O4	C3	-171.0(3)	N2	C9	C10	C5	-177.9(3)
C7	C8	C9	C10	-1.2(6)	O1	C1	C4	C3	169.8(4)
C7	C8	C9	N2	176.4(3)	O1	C1	C4	C5	62.3(5)

C8	C9	C10	C5	-0.2(5)	O1	C1	C4	C11	-66.0(5)
C8	C9	N2	S1	111.9(4)	O1	C1	N1	C2	-175.6(4)
C9	N2	S1	C19	-65.4(4)	O1	C1	N1	C13	7.8(6)
C9	N2	S1	O5	50.1(4)	O2	C2	C3	C4	169.3(5)
C9	N2	S1	O6	178.7(3)	O2	C2	C3	O3	45.2(7)
C10	C5	C6	C7	0.1(6)	O2	C2	C3	O4	-77.3(6)
C10	C5	C6	O4	-180.0(3)	O2	C2	N1	C1	-176.8(5)
C10	C9	N2	S1	-70.5(5)	O2	C2	N1	C13	-0.2(8)
C11	C4	C5	C6	-135.7(3)	O3	C3	C4	C1	137.3(3)
C11	C4	C5	C10	45.2(5)	O3	C3	C4	C5	-108.2(3)
C13	C14	C15	C16	-1.7(7)	O3	C3	C4	C11	17.5(5)
C14	C13	C18	C17	1.5(6)	O3	C3	O4	C6	109.0(3)
C14	C13	N1	C1	41.2(5)	O4	C3	C4	C1	-100.0(3)
C14	C13	N1	C2	-135.0(4)	O4	C3	C4	C5	14.5(3)
C14	C15	C16	C17	2.0(8)	O4	C3	C4	C11	140.3(3)
C15	C16	C17	C18	-0.4(8)	O4	C6	C7	C8	178.6(3)
C16	C17	C18	C13	-1.3(7)	S1	C19	C20	C21	178.4(4)
C18	C13	C14	C15	0.0(6)	S1	C19	C24	C23	-178.9(4)
C18	C13	N1	C1	-140.4(4)					

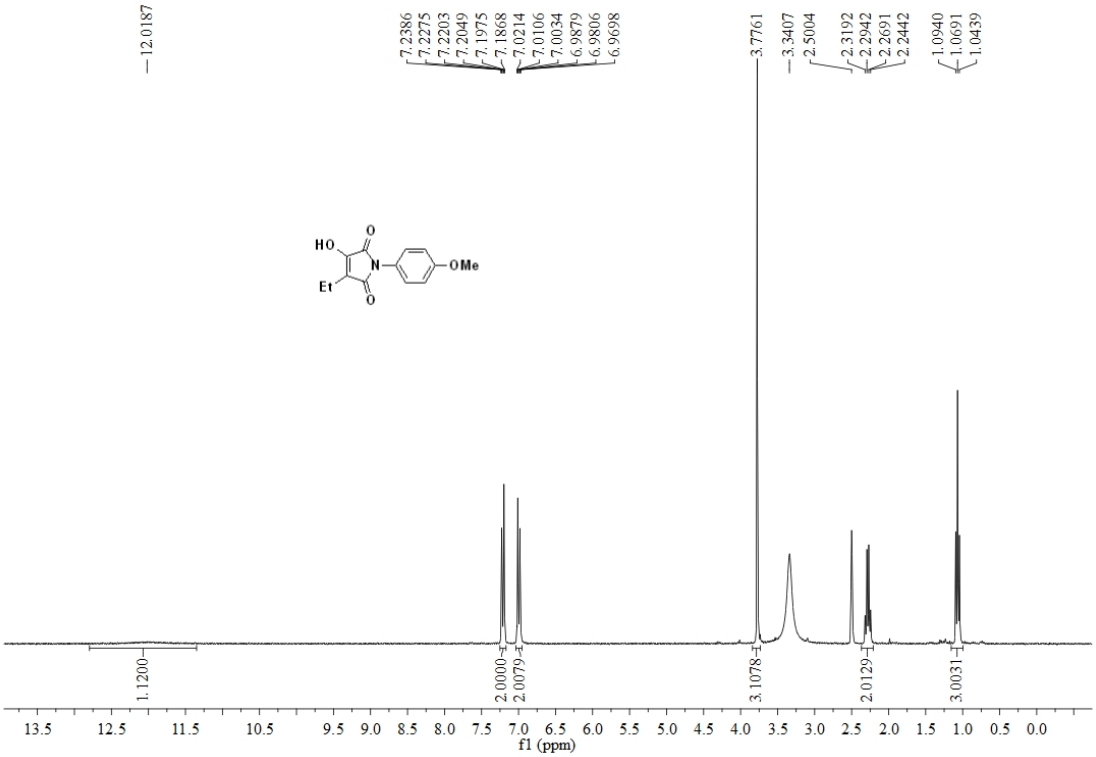
Table 8 Hydrogen Atom Coordinates (Å×10^4) and Isotropic Displacement Parameters (Å^2×10^3) for 3ba.

Atom	***x***	***y***	***z***	**U(eq)**
H7	8109	2883	5030	62
H8	9228	4293	3933	58
H10	8127	9529	4692	47
H11A	6125	10772	5496	54
H11B	4984	9256	5364	54

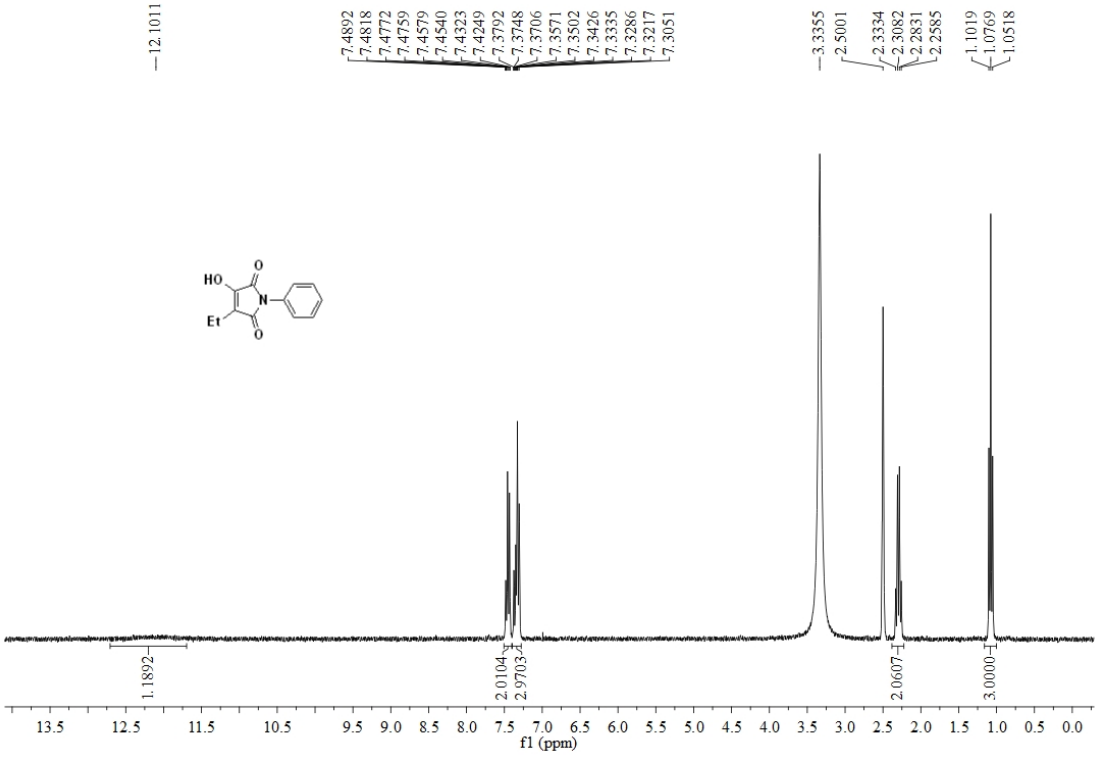
H12A	5474	11316	6862	84
H12B	4357	9751	6753	84
H12C	4248	11654	6237	84
H14	7542	11354	8167	65
H15	8358	12180	9494	82
H16	8916	9862	10460	86
H17	8782	6671	10084	80
H18	8055	5812	8738	66
H20	6356	8912	1929	87
H21	5190	6708	1113	103
H23	8161	2937	1430	86
H24	9361	5130	2232	78
H25A	4837	3404	657	172
H25B	5996	1914	798	172
H25C	6028	3357	50	172
H2	10250(30)	7250(60)	3470(20)	41(10)
H3	4265	5505	6560	83

^{1}H NMR and ^{13}C NMR spectra

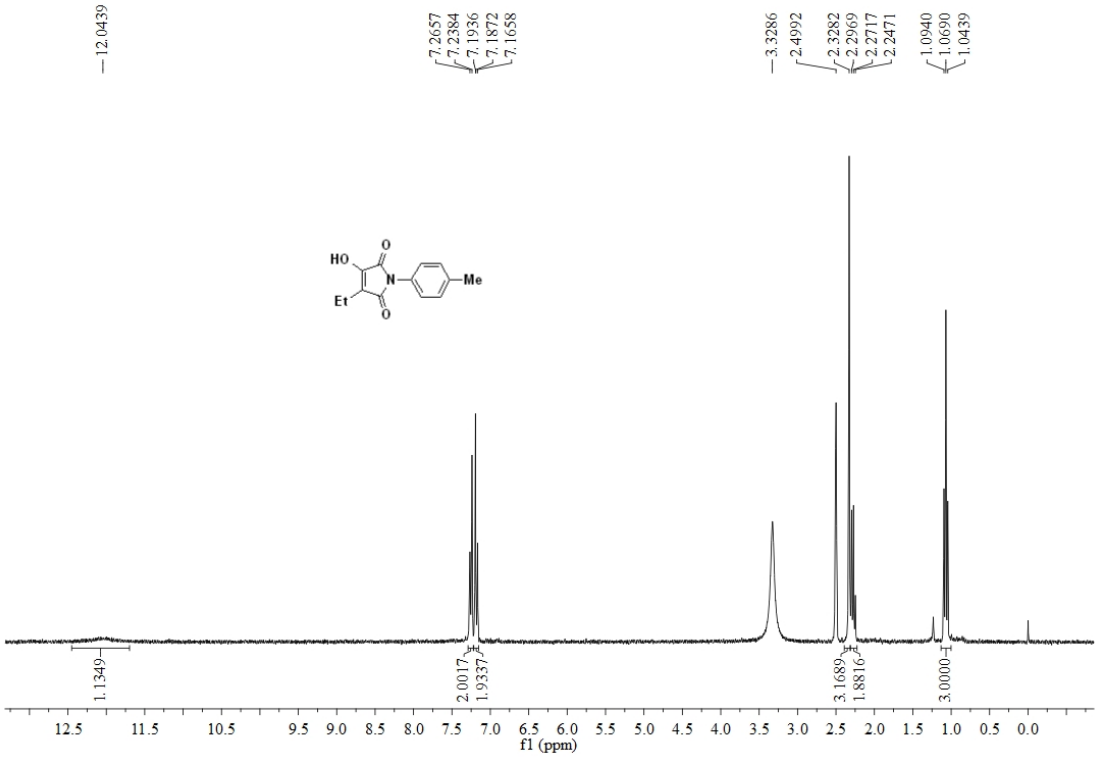
3-Ethyl-4-hydroxy-1-(4-methoxyphenyl)-1*H*-pyrrole-2,5-dione (1a)



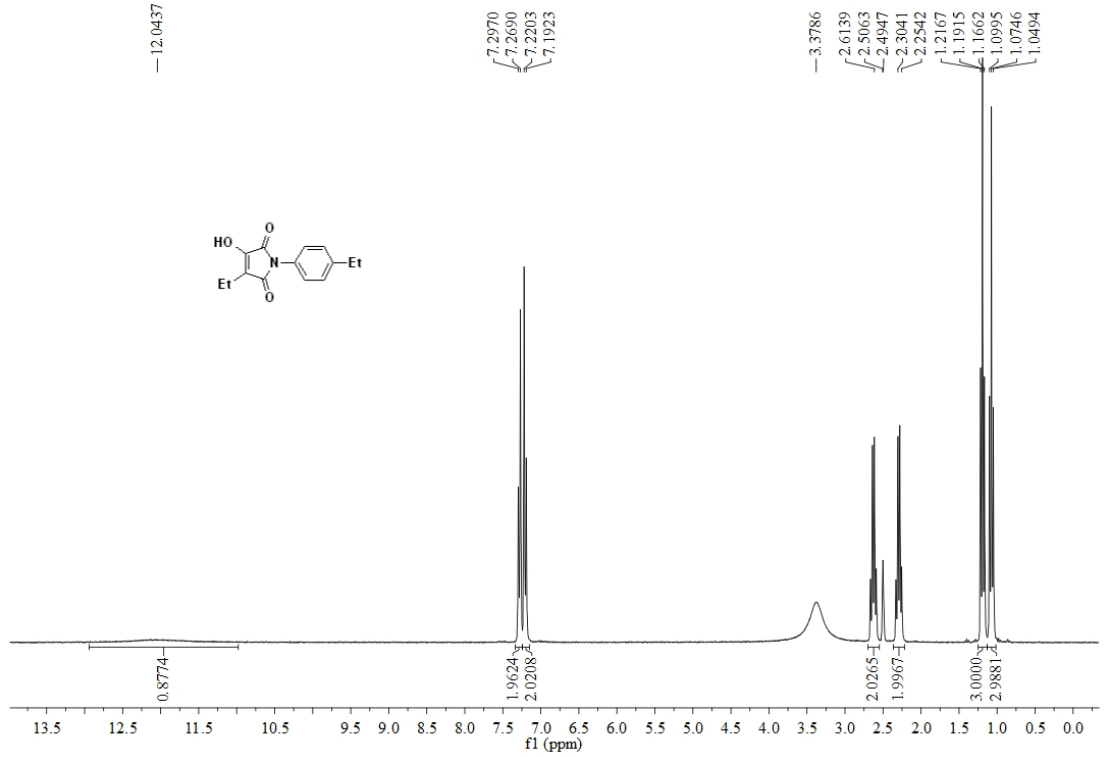
3-Ethyl-4-hydroxy-1-phenyl-1*H*-pyrrole-2,5-dione (1b)

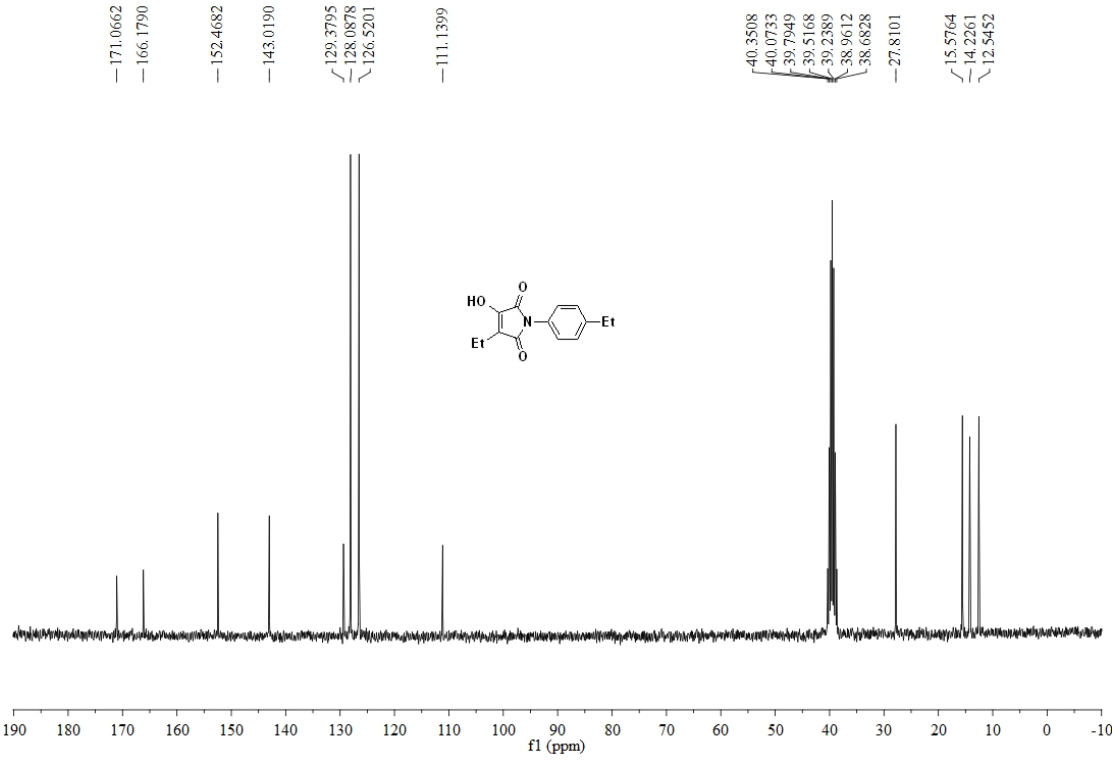


3-Ethyl-4-hydroxy-1-(p-tolyl)-1*H*-pyrrole-2,5-dione (1c)

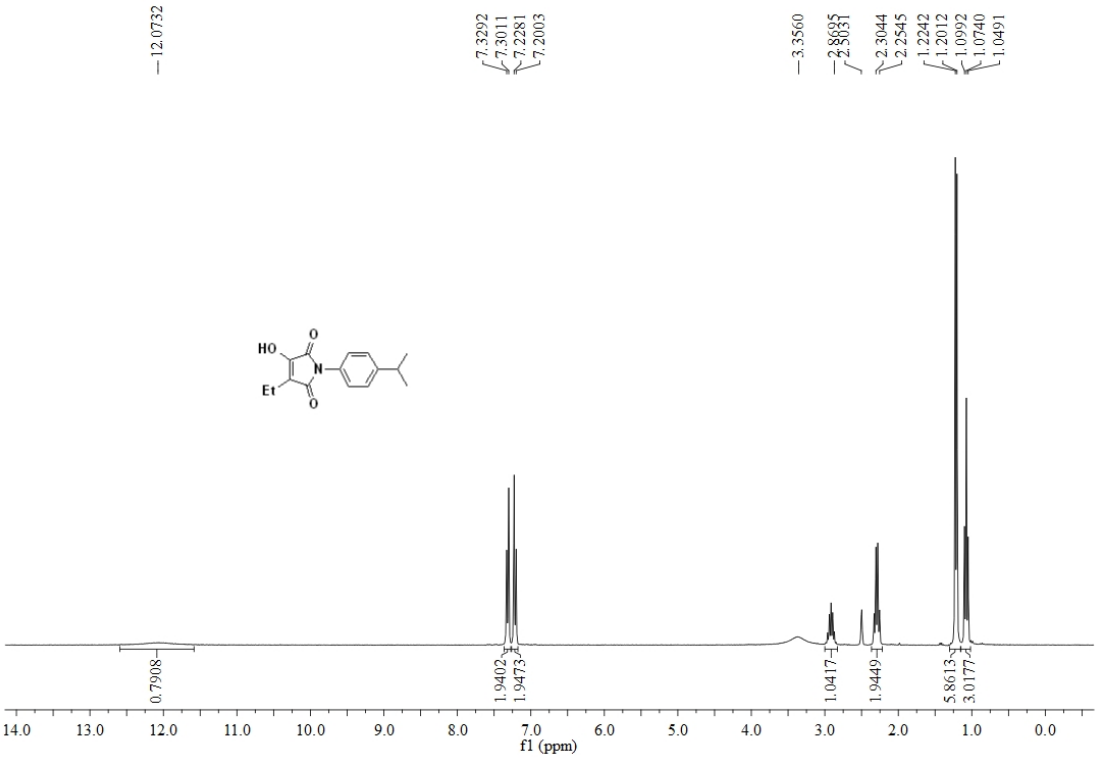


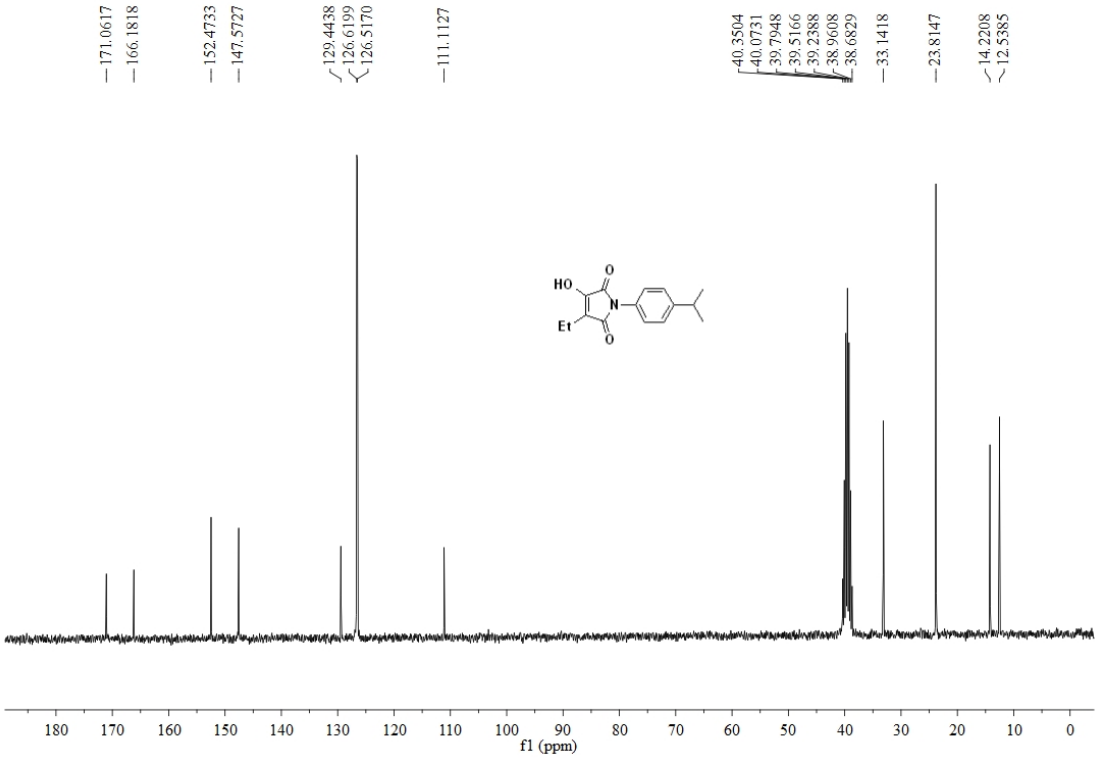
3-Ethyl-1-(4-ethylphenyl)-4-hydroxy-1*H*-pyrrole-2,5-dione (1d)



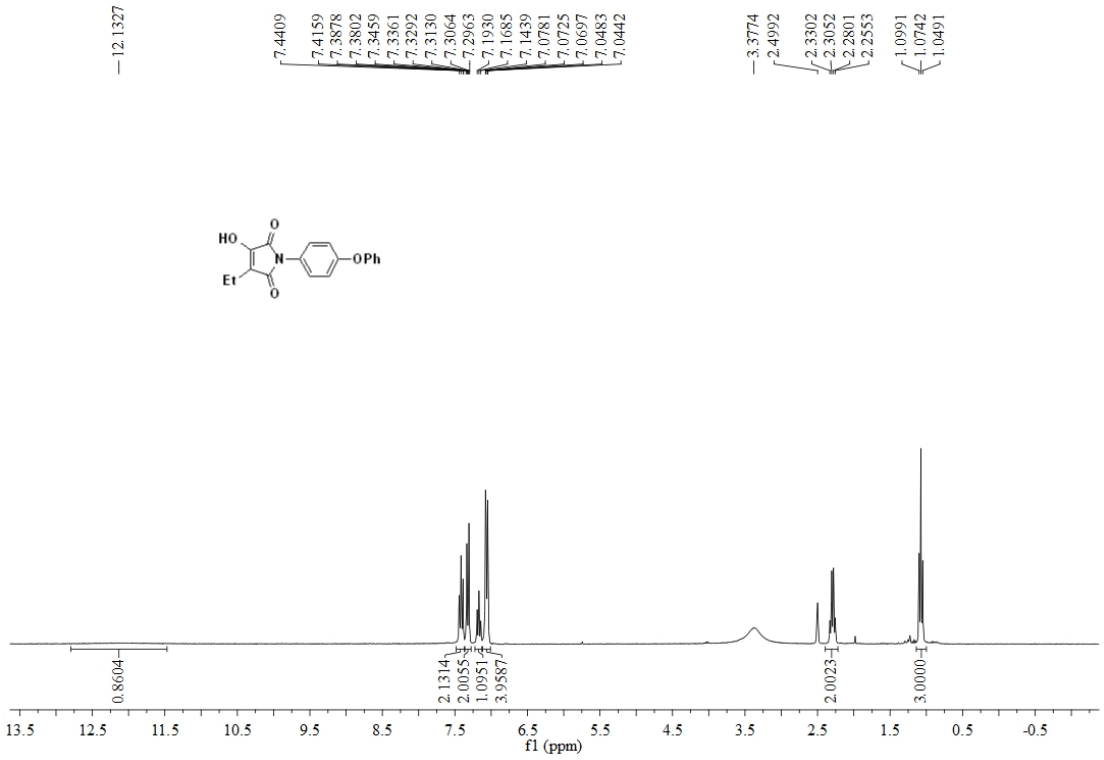


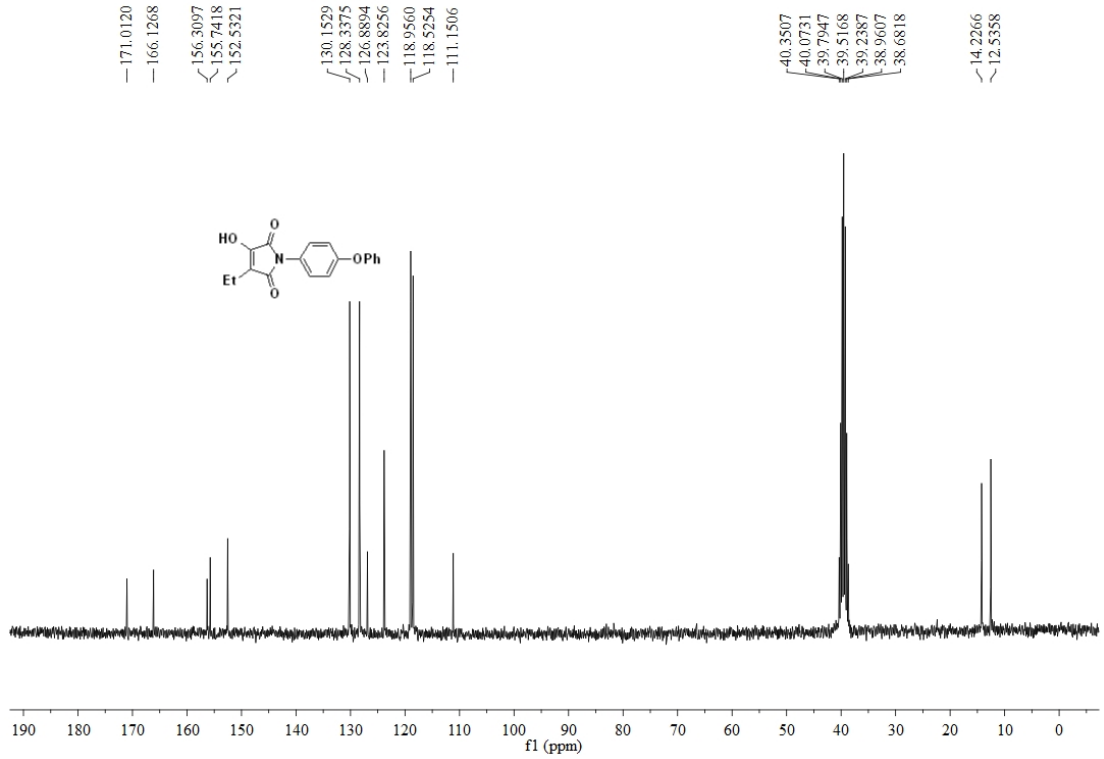
3-Ethyl-4-hydroxy-1-(4-isopropylphenyl)-1*H*-pyrrole-2,5-dione (1e)



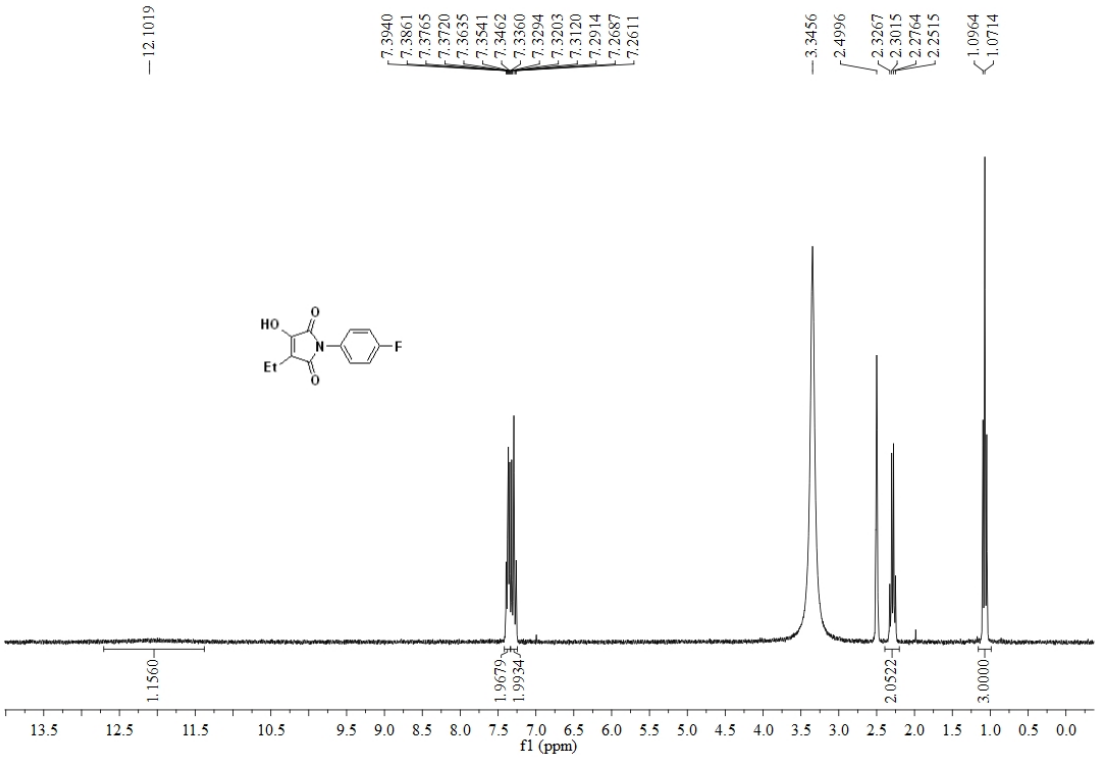


3-Ethyl-4-hydroxy-1-(4-phenoxyphenyl)-1*H*-pyrrole-2,5-dione (1f)

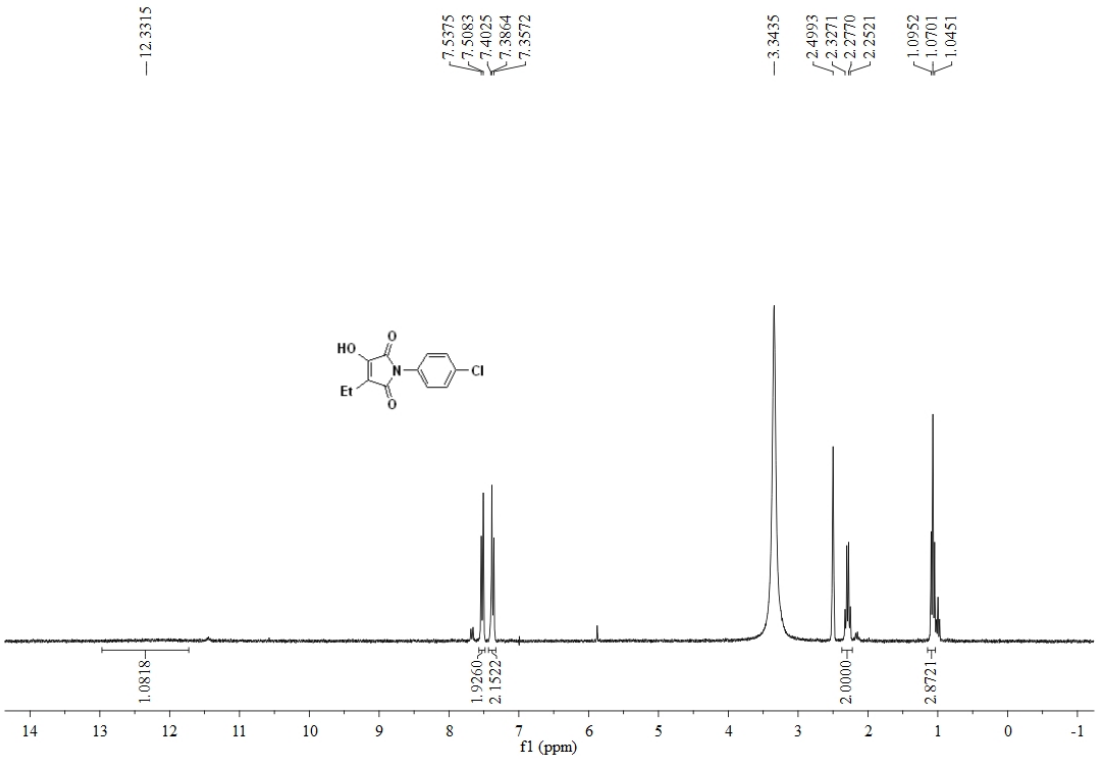




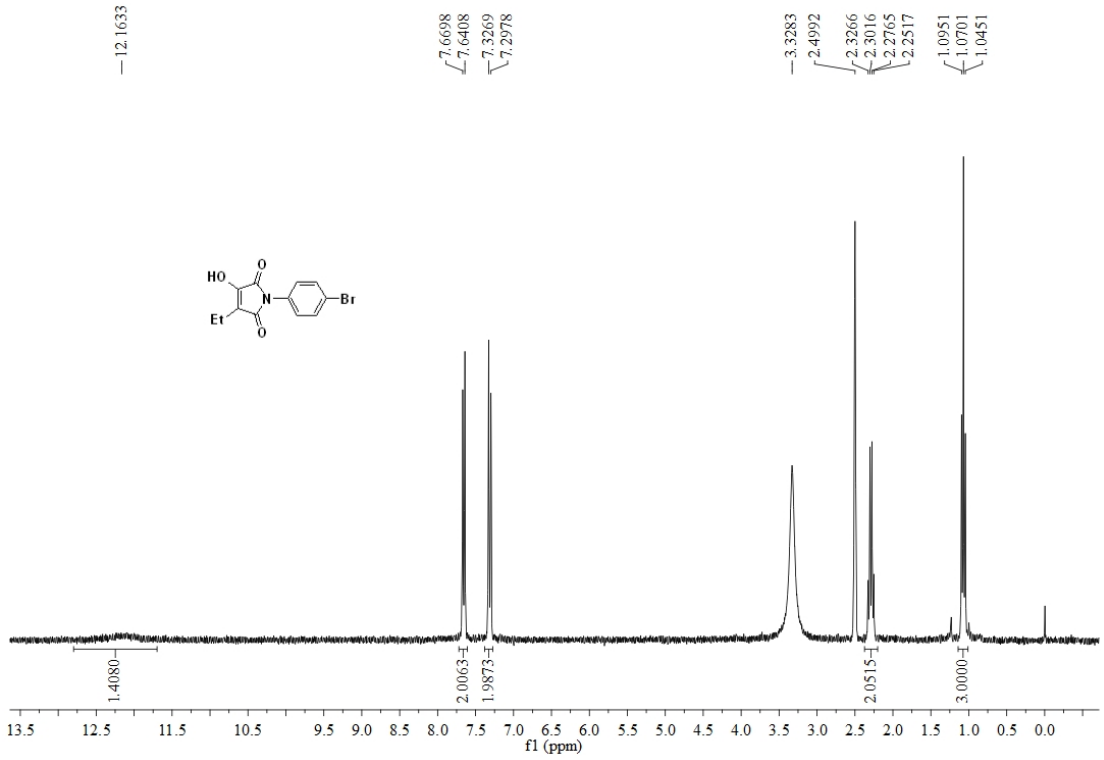
3-Ethyl-1-(4-fluorophenyl)-4-hydroxy-1*H*-pyrrole-2,5-dione (1g)



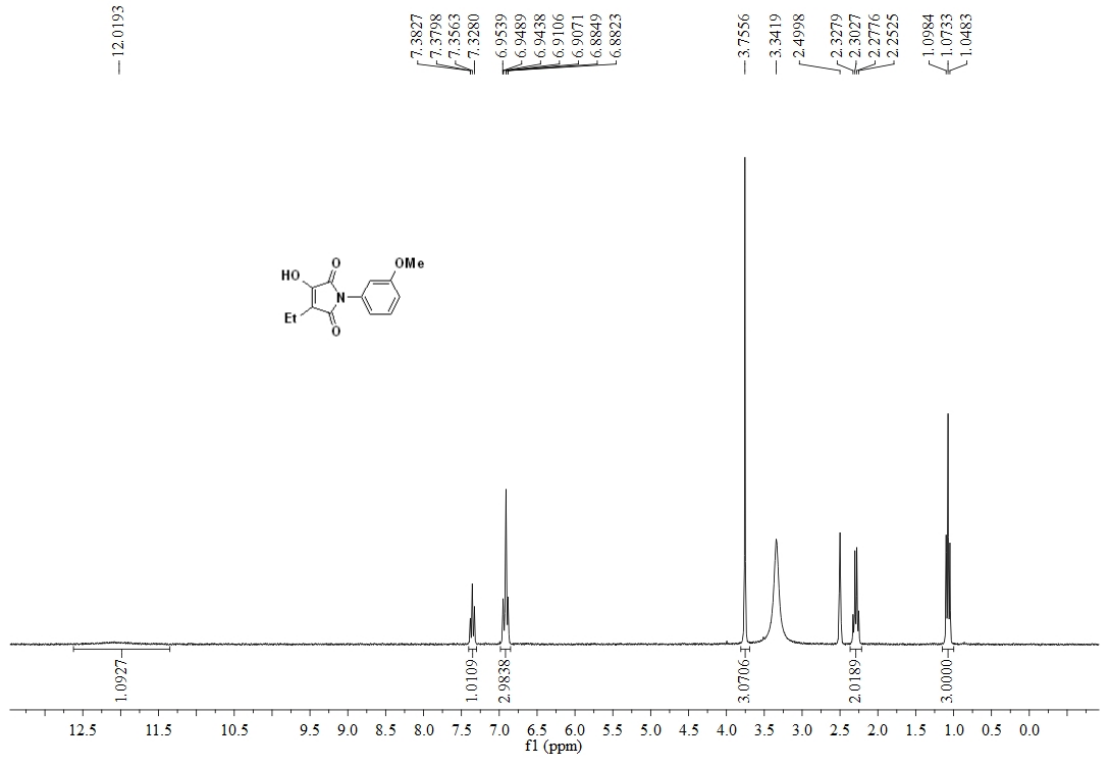
1-(4-Chlorophenyl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1h)



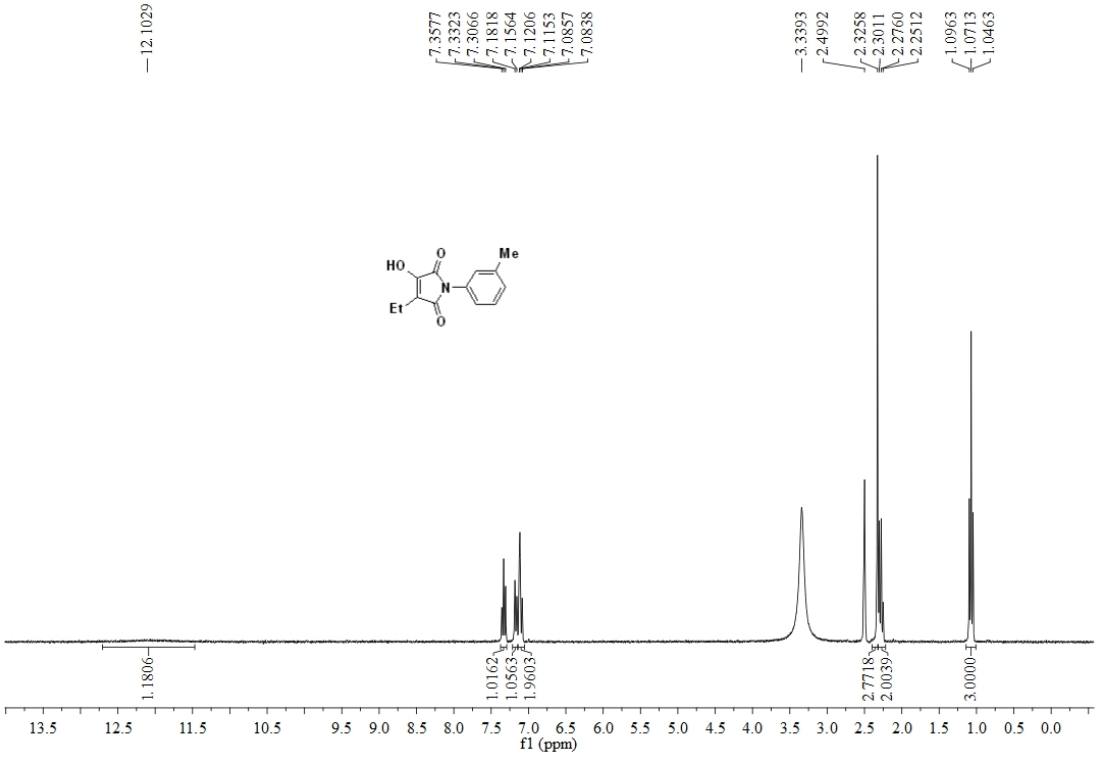
1-(4-Bromophenyl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1i)



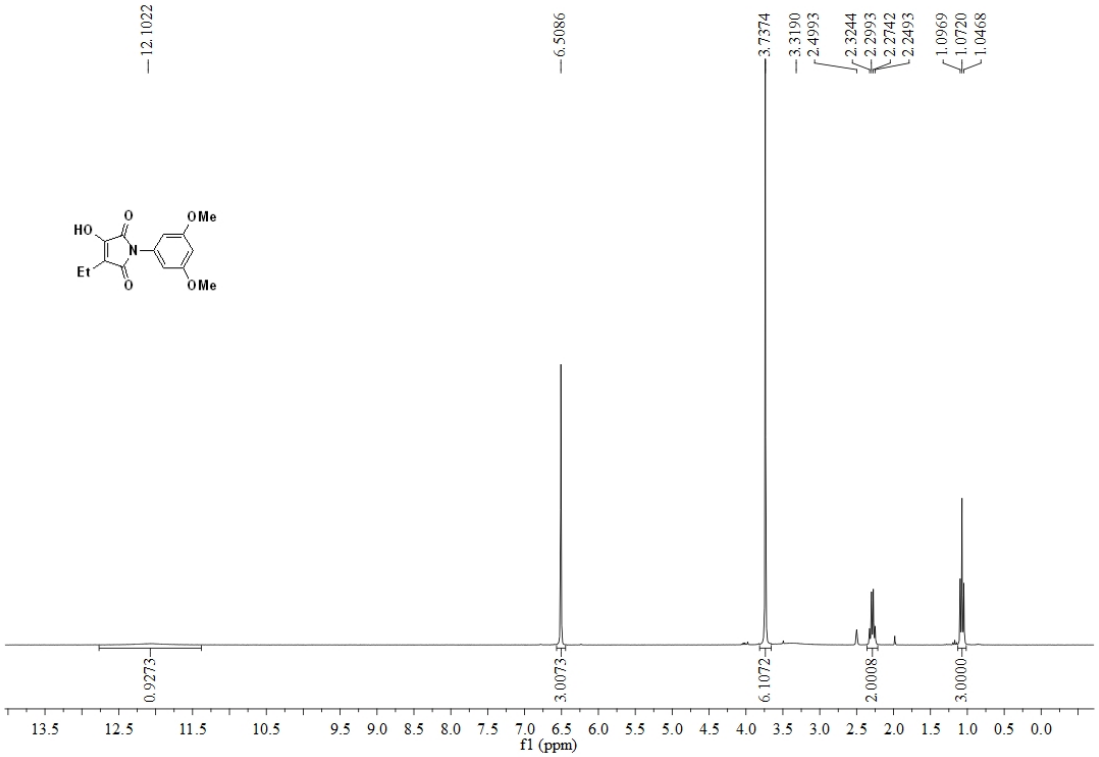
3-Ethyl-4-hydroxy-1-(3-methoxyphenyl)-1*H*-pyrrole-2,5-dione (1j)

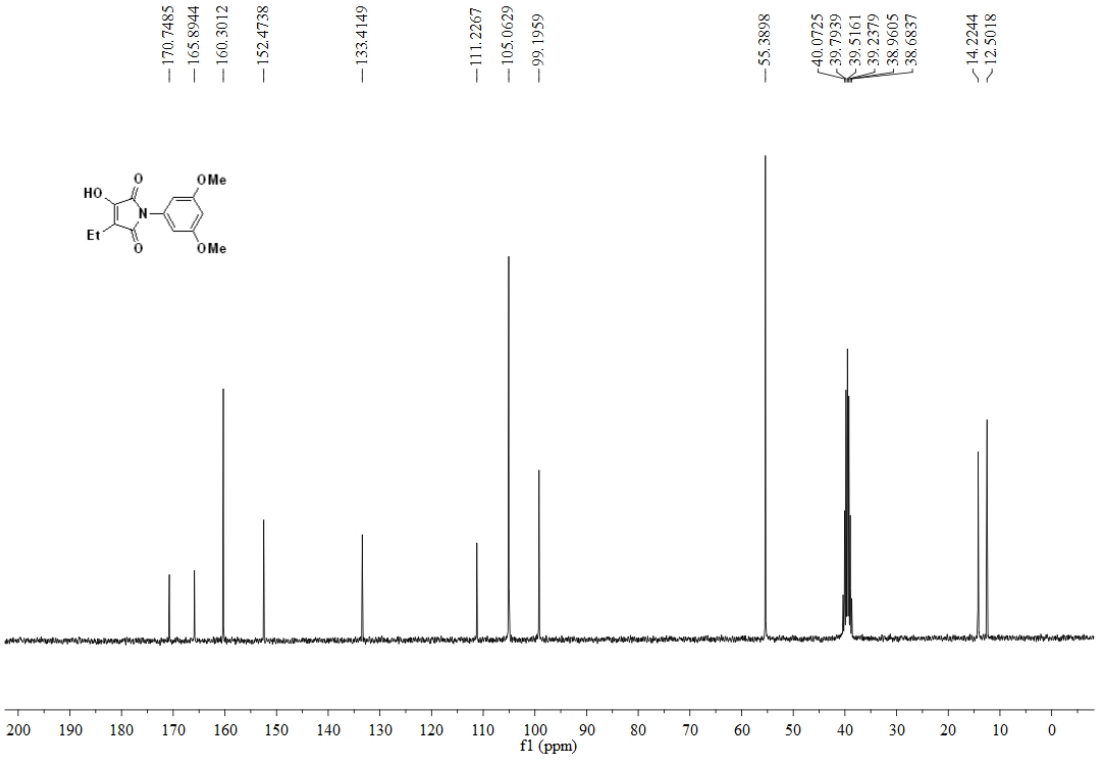


3-Ethyl-4-hydroxy-1-(m-tolyl)-1*H*-pyrrole-2,5-dione (1k)

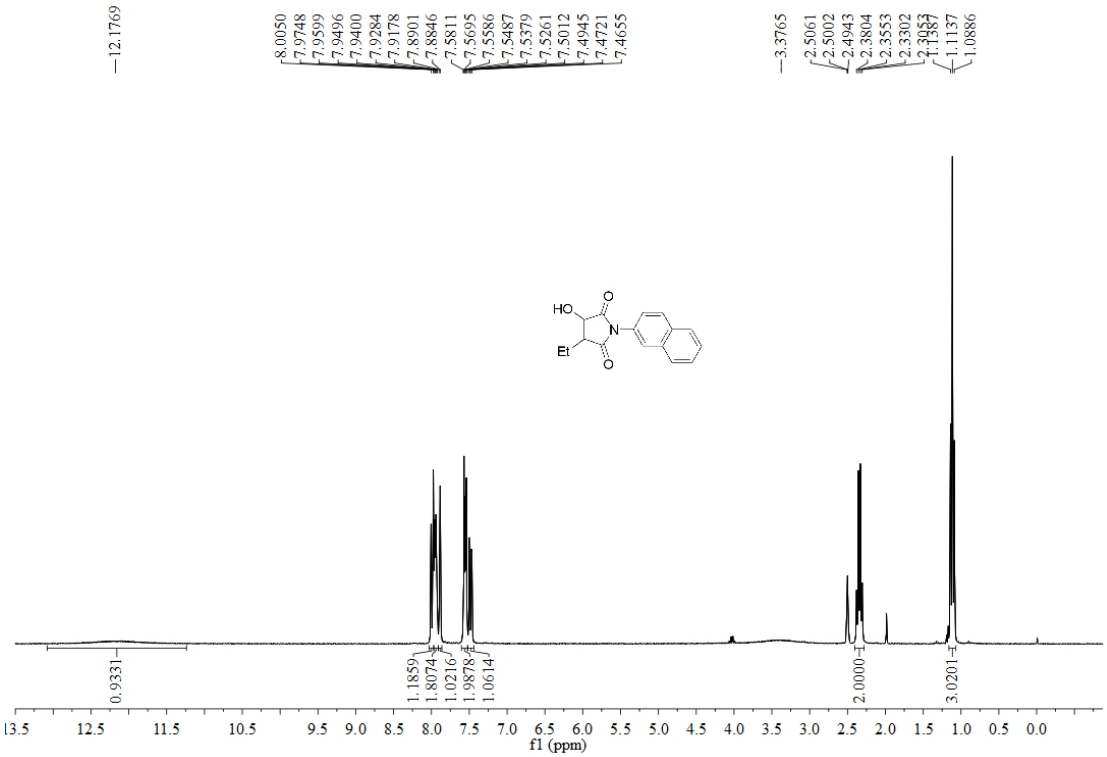


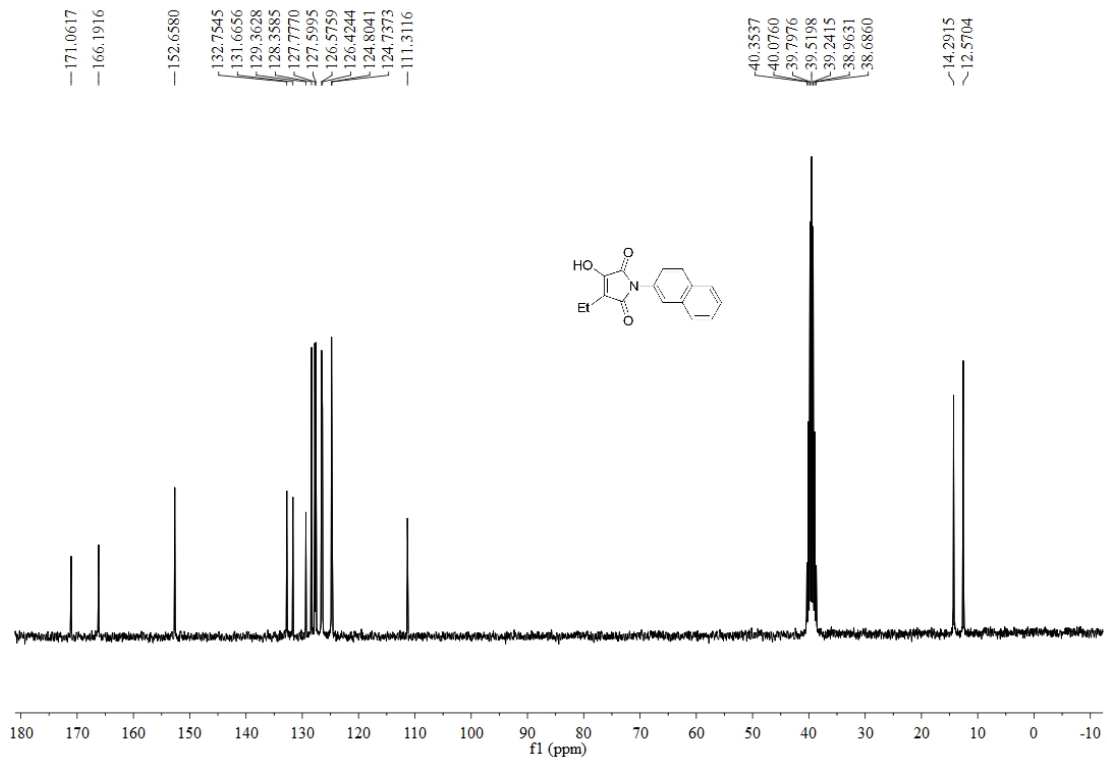
1-(3,5-Dimethoxyphenyl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1l)



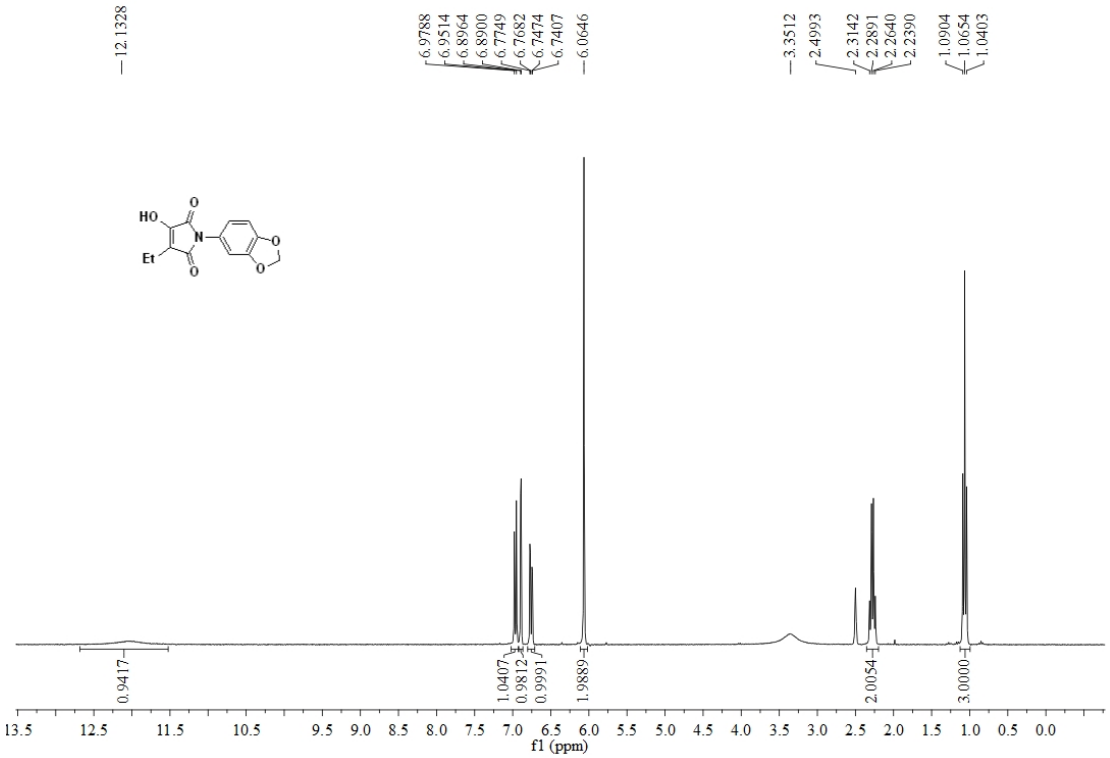


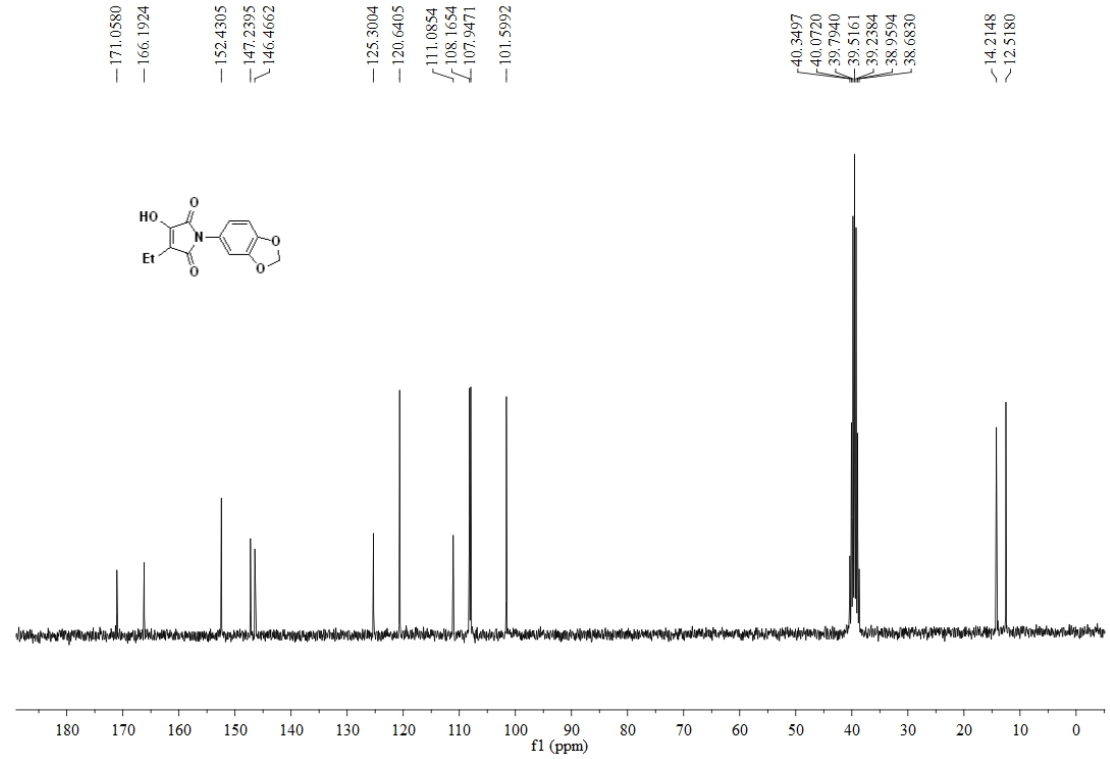
3-Ethyl-4-hydroxy-1-(naphthalen-2-yl)-1*H*-pyrrole-2,5-dione (1m):



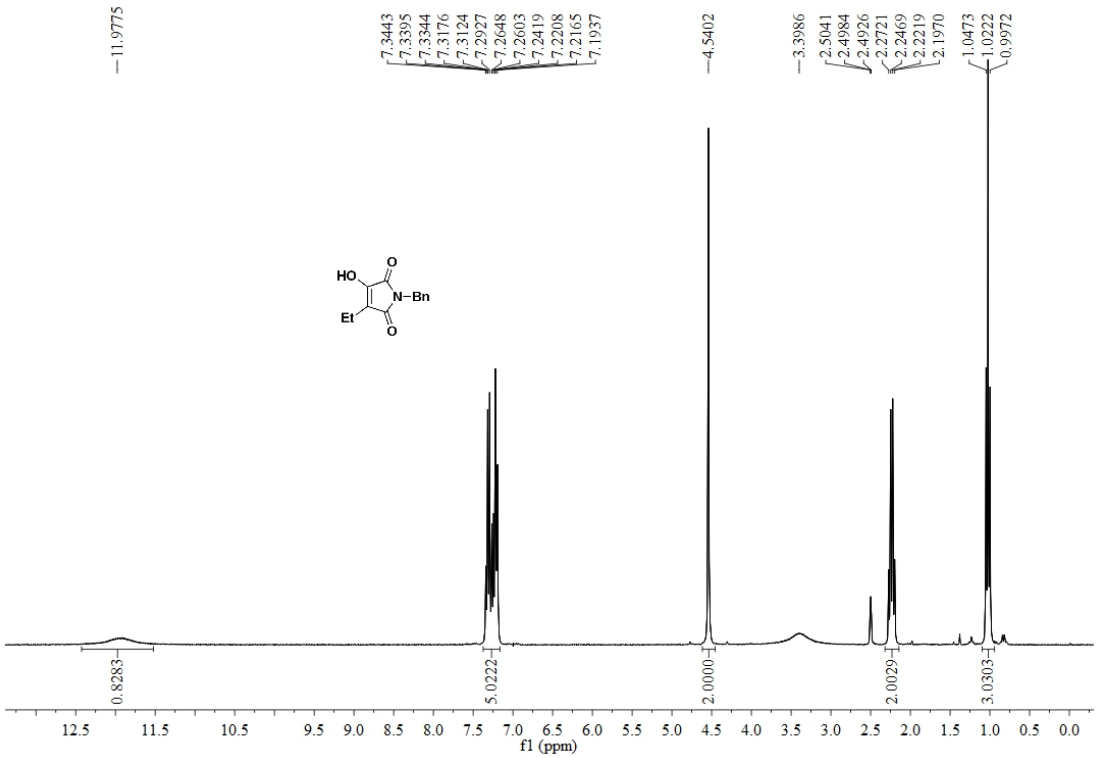


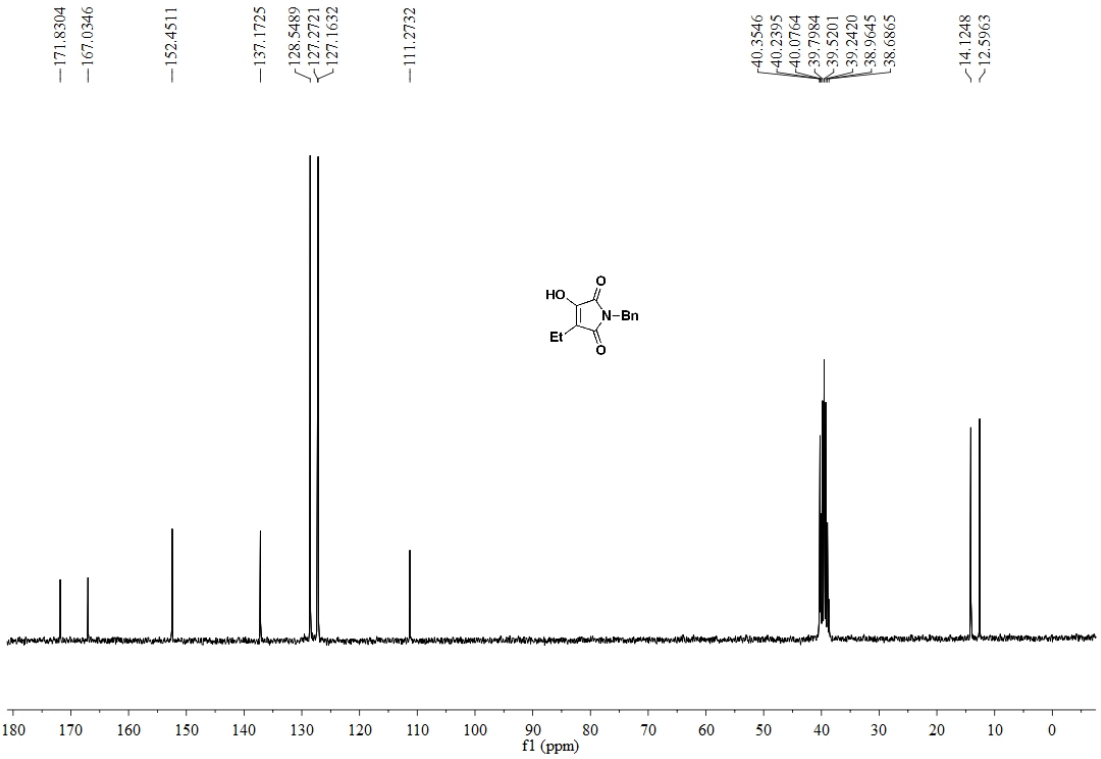
1-(Benzo[d][1,3]dioxol-5-yl)-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1n)



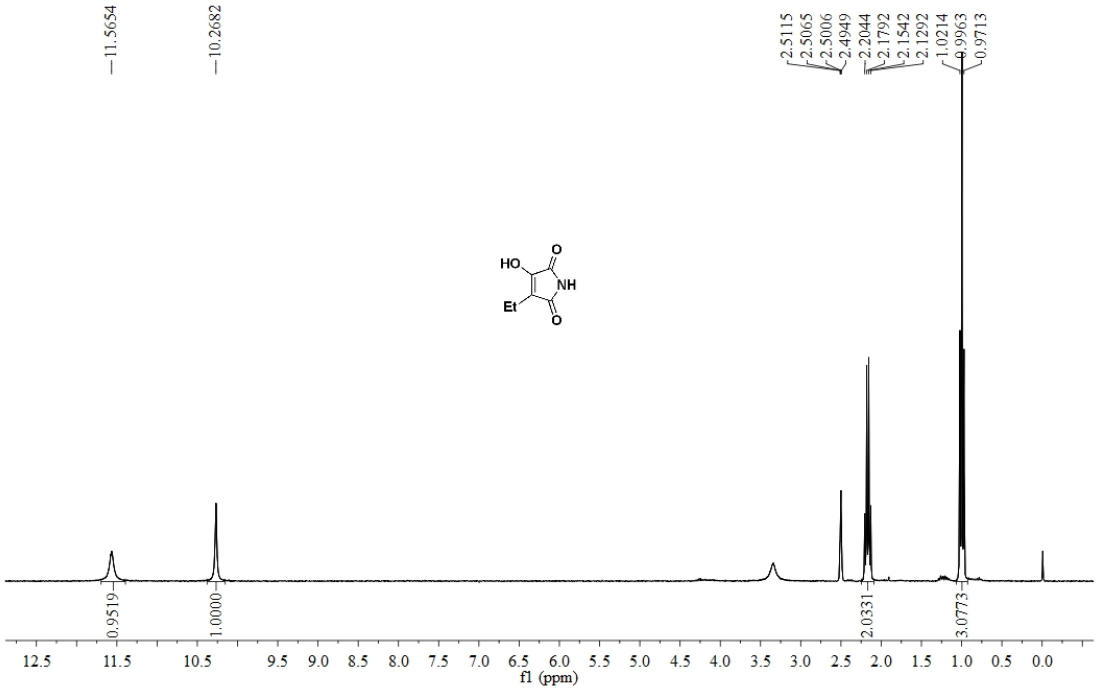


1-Benzyl-3-ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1o)

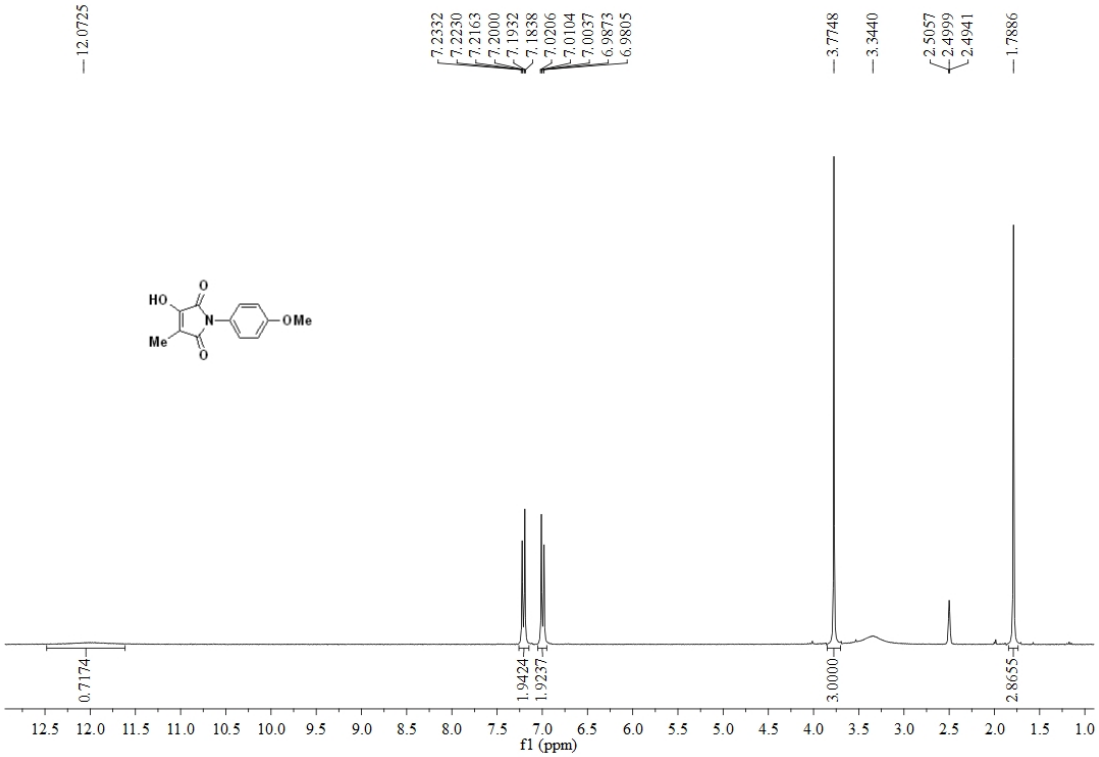


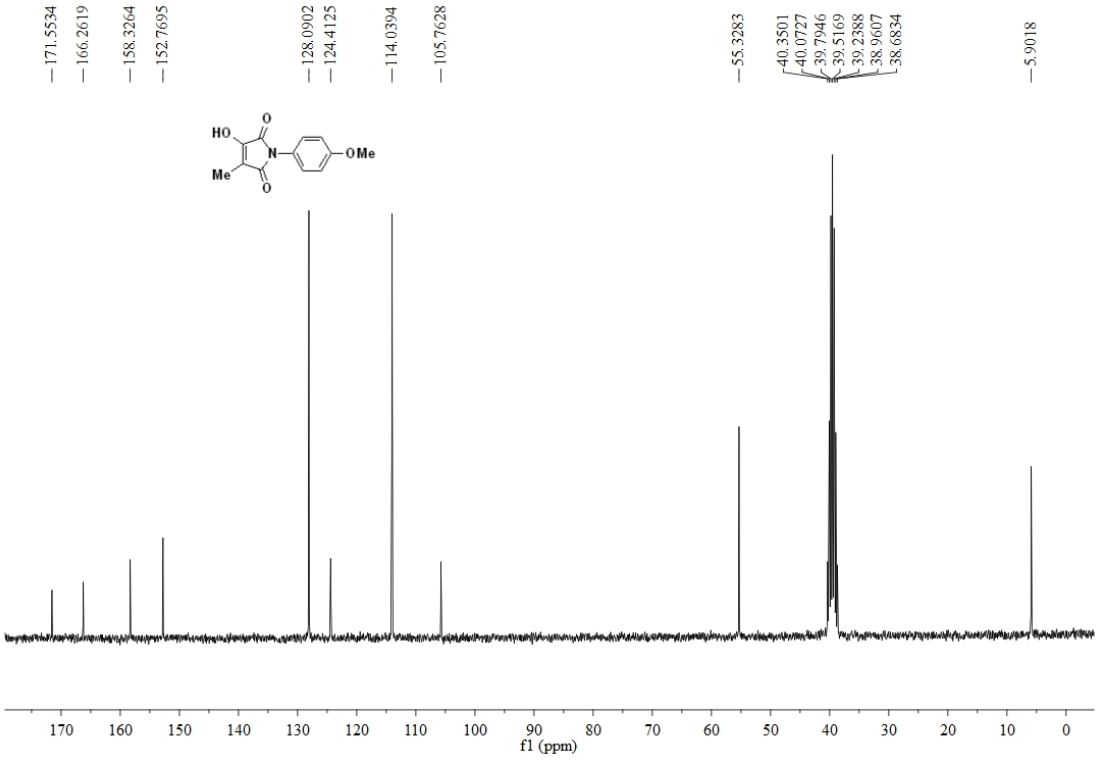


3-Ethyl-4-hydroxy-1*H*-pyrrole-2,5-dione (1p)

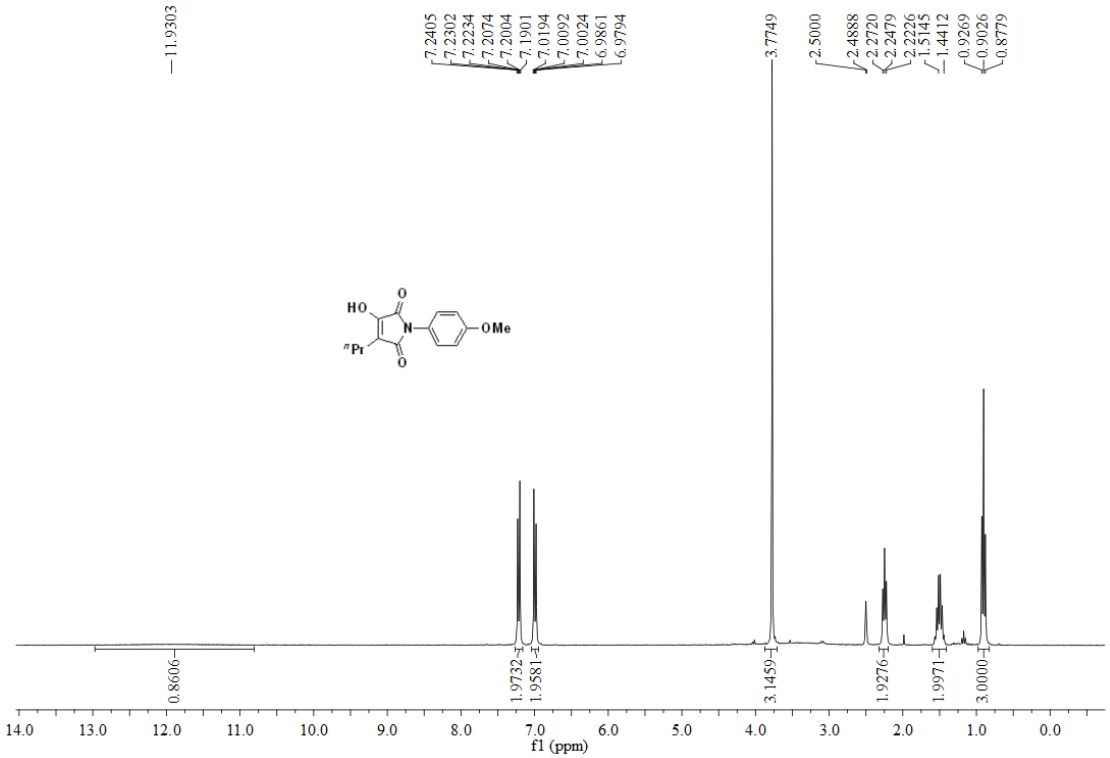


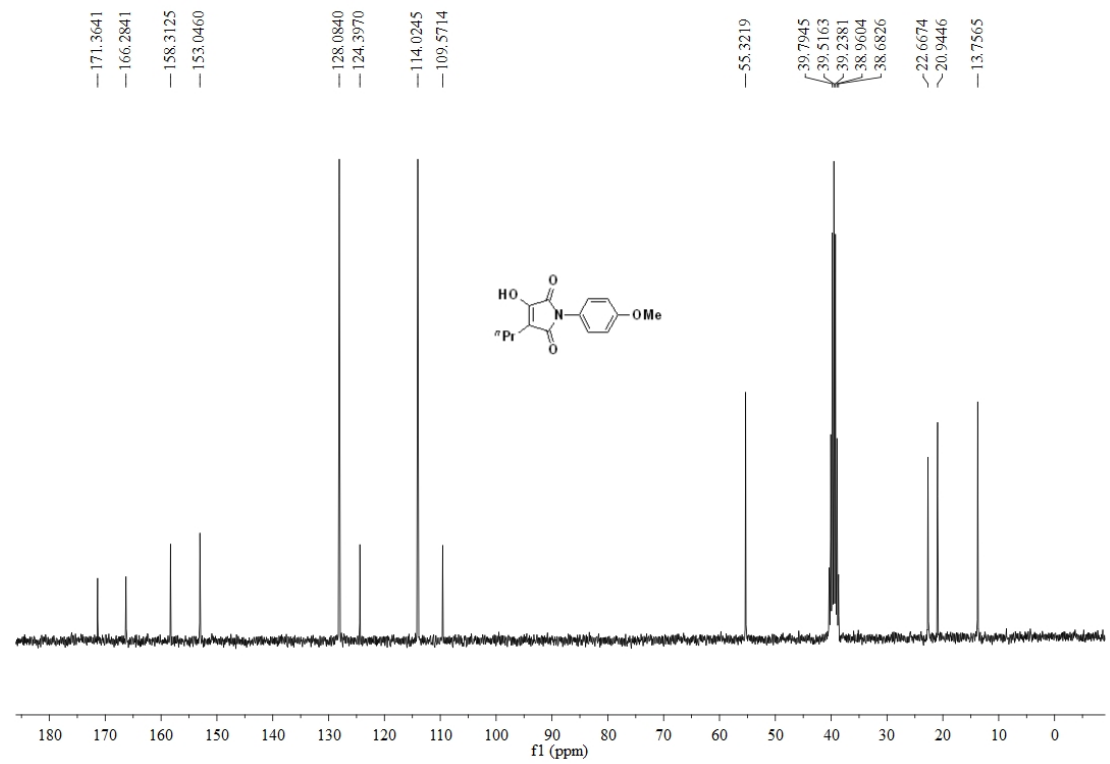
3-Hydroxy-1-(4-methoxyphenyl)-4-methyl-1*H*-pyrrole-2,5-dione (1q)



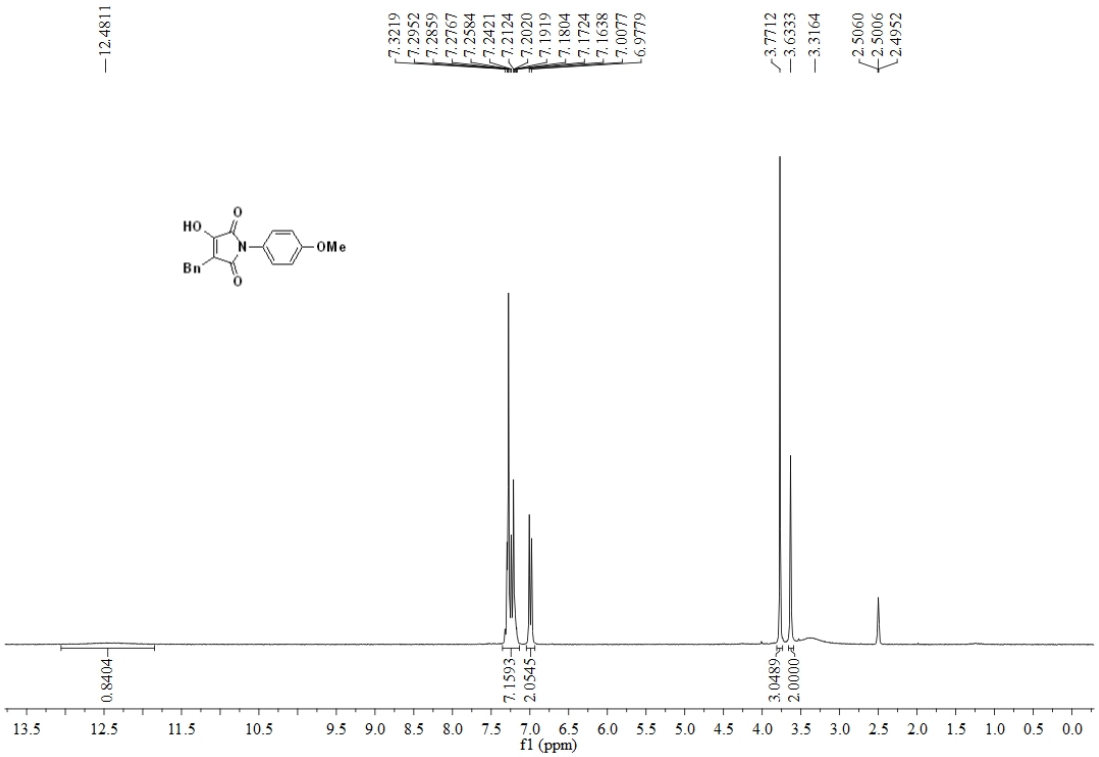


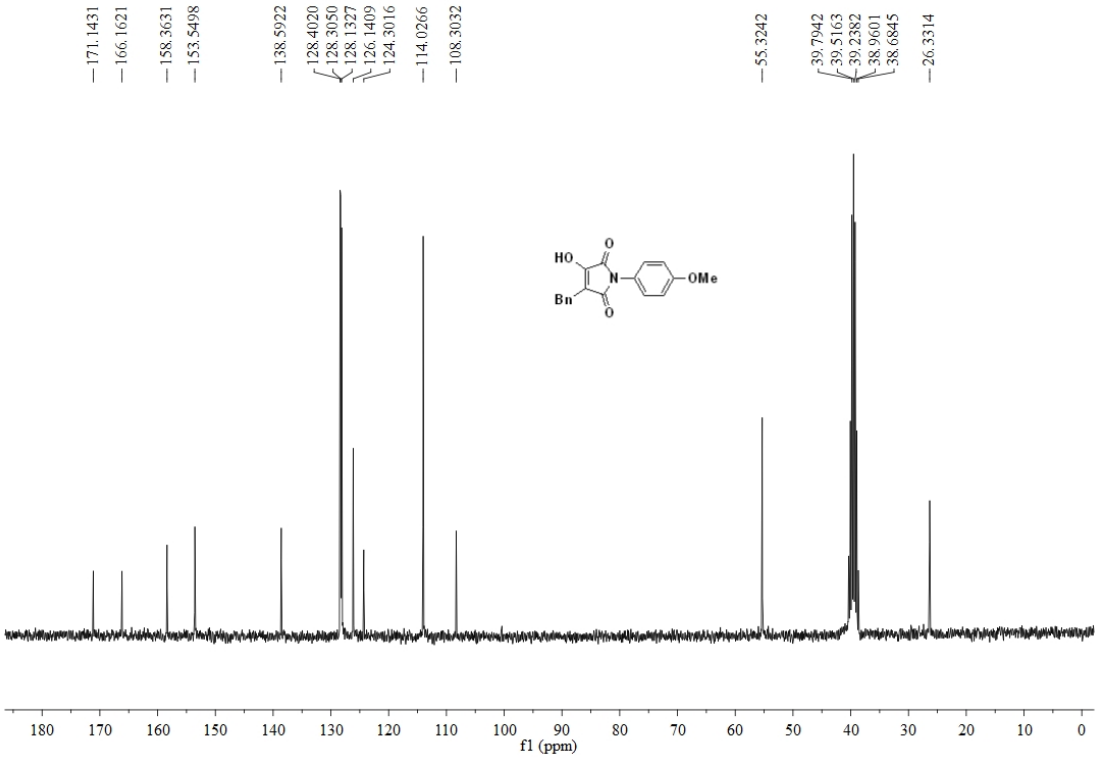
3-Hydroxy-1-(4-methoxyphenyl)-4-propyl-1*H*-pyrrole-2,5-dione (1r)



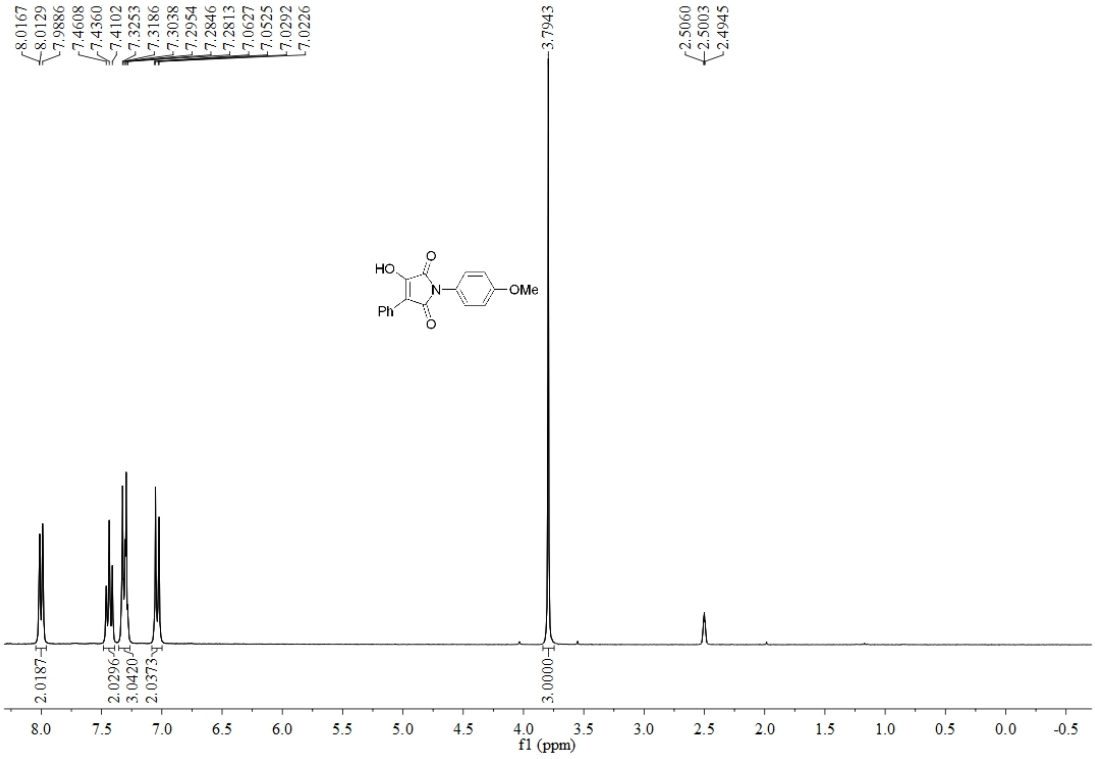


3-Benzyl-4-hydroxy-1-(4-methoxyphenyl)-1*H*-pyrrole-2,5-dione (1s)

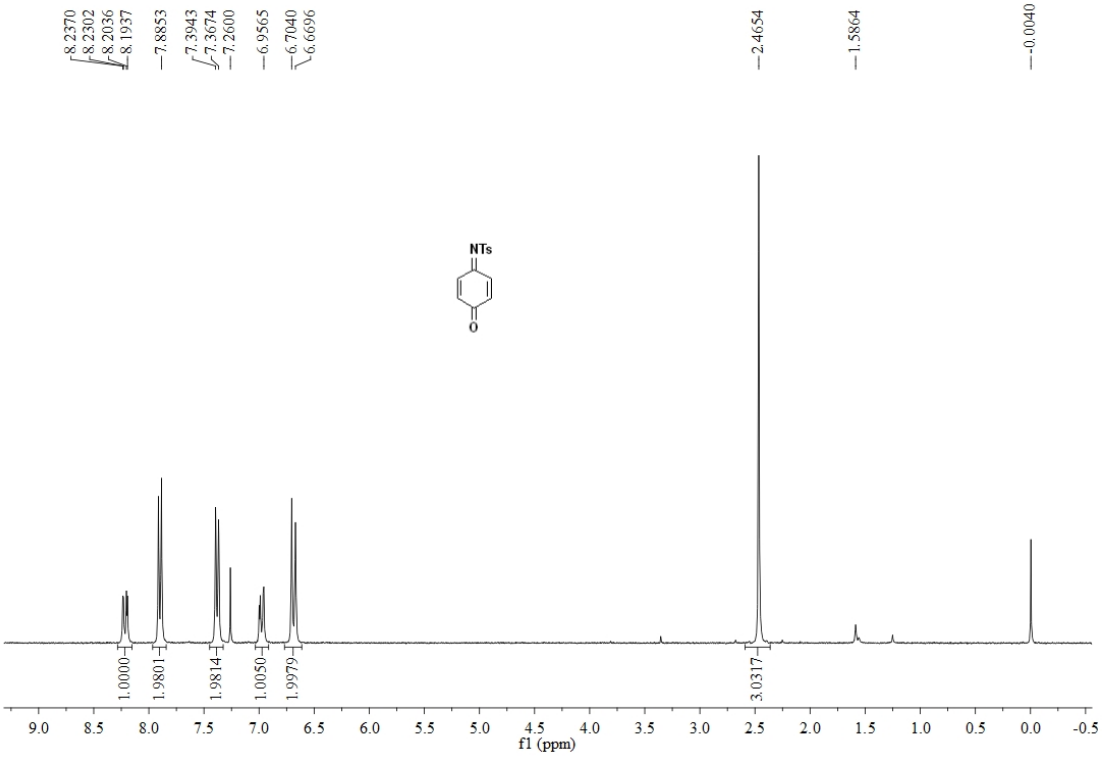




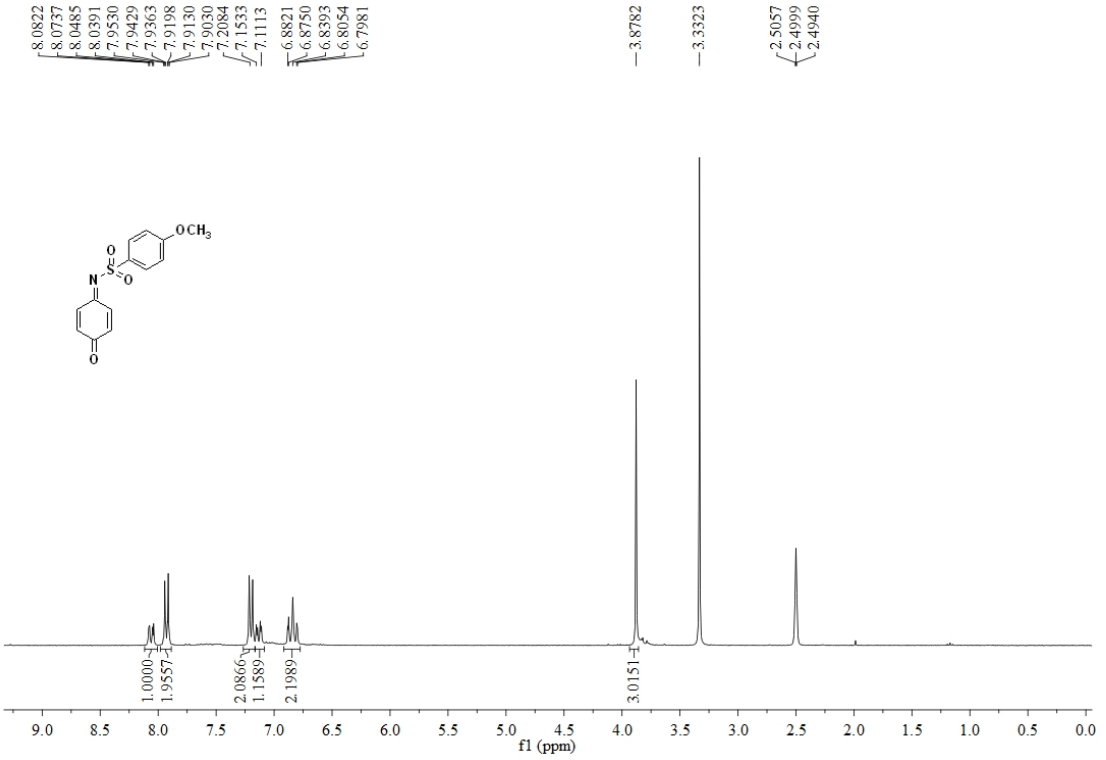
3-Hydroxy-1-(4-methoxyphenyl)-4-phenyl-1*H*-pyrrole-2,5-dione (1t):



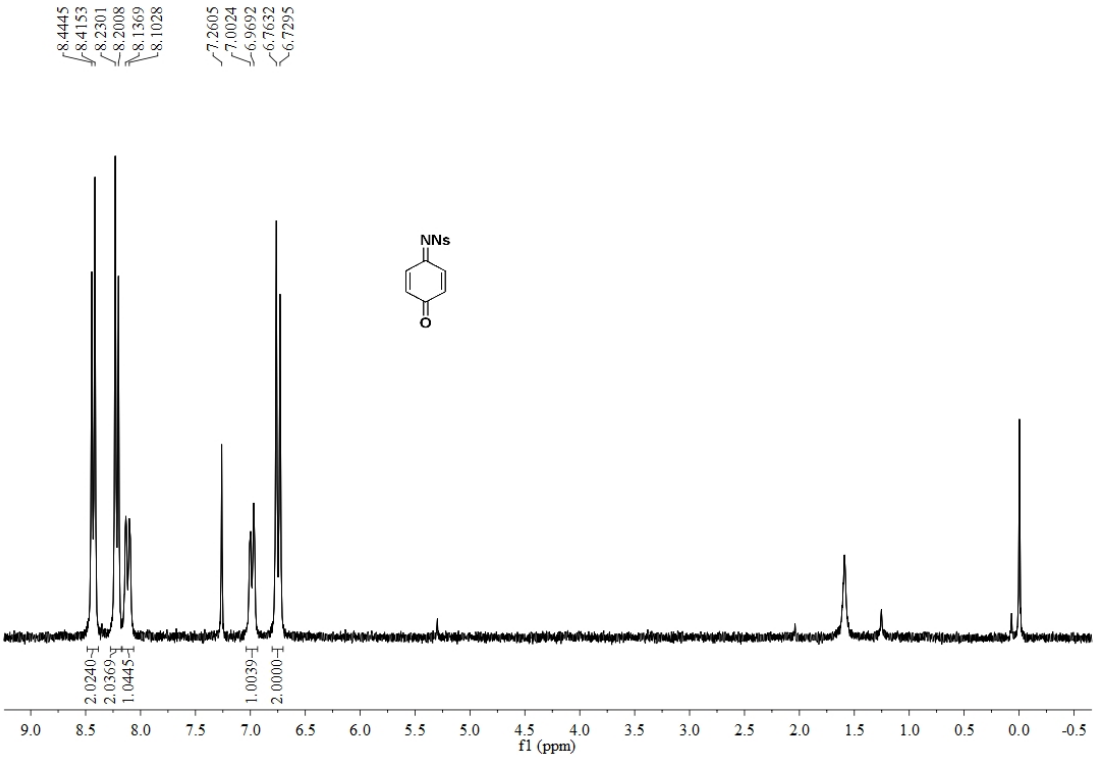
4-Methyl-N-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2a):



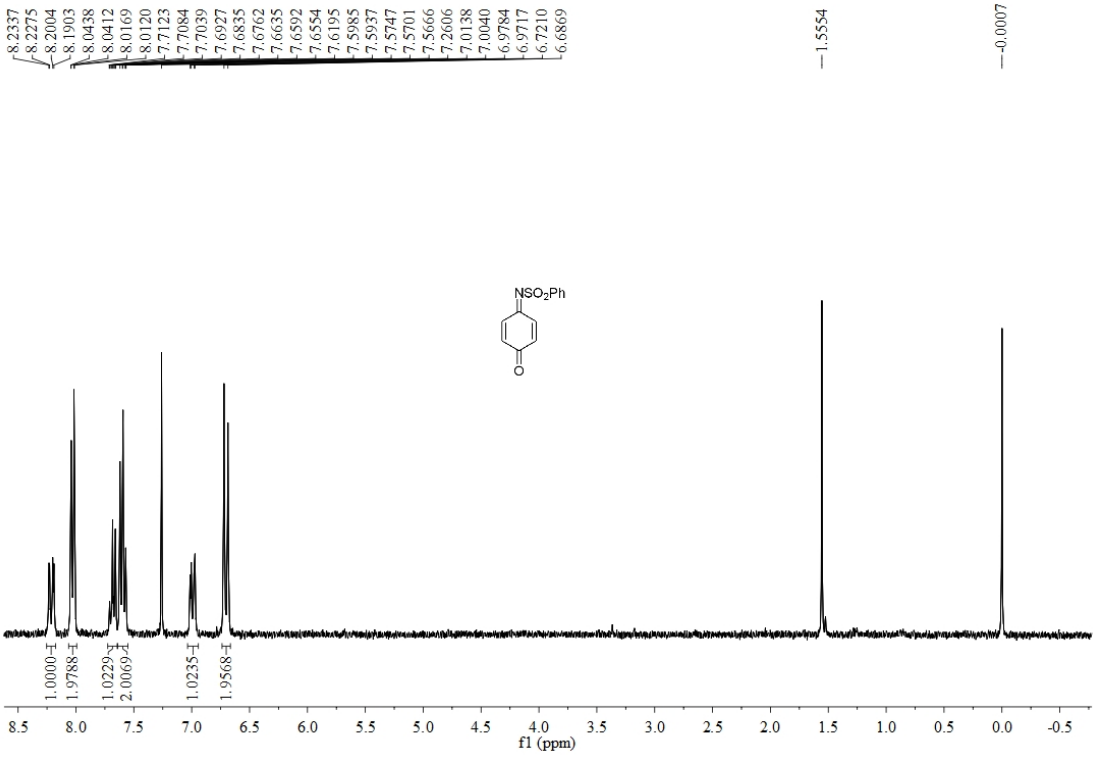
4-Methoxy-*N*-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2b)



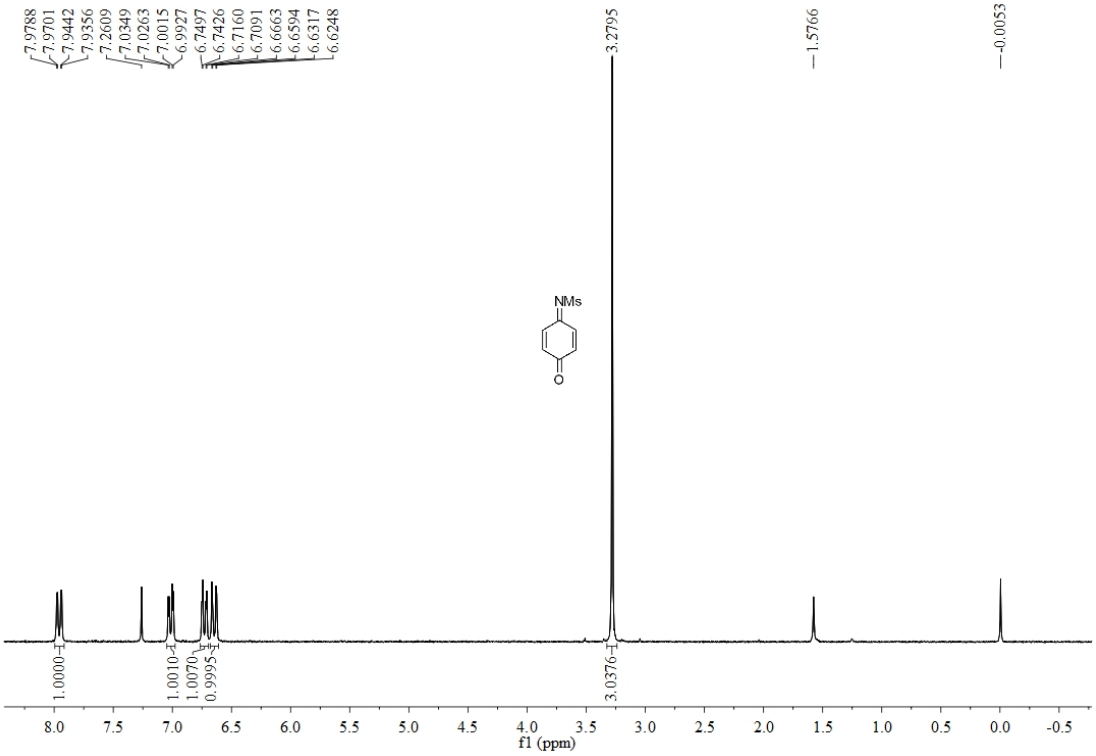
4-Nitro-*N*-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2c):



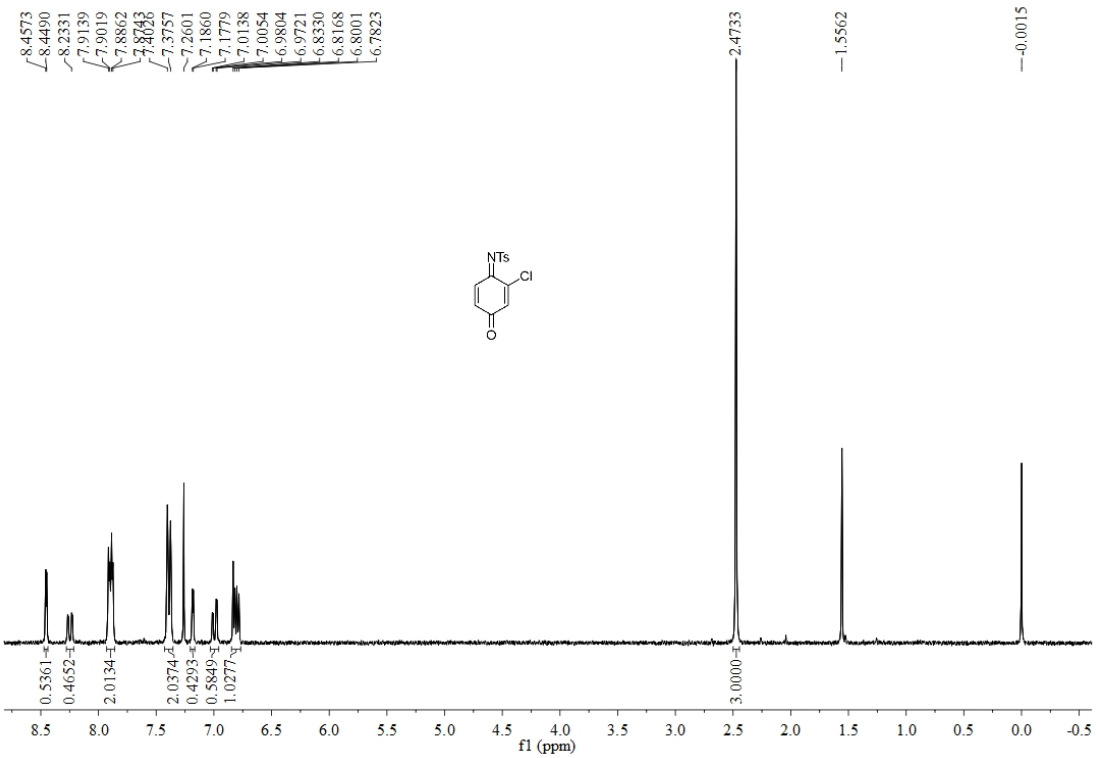
***N*-(4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2d)**:



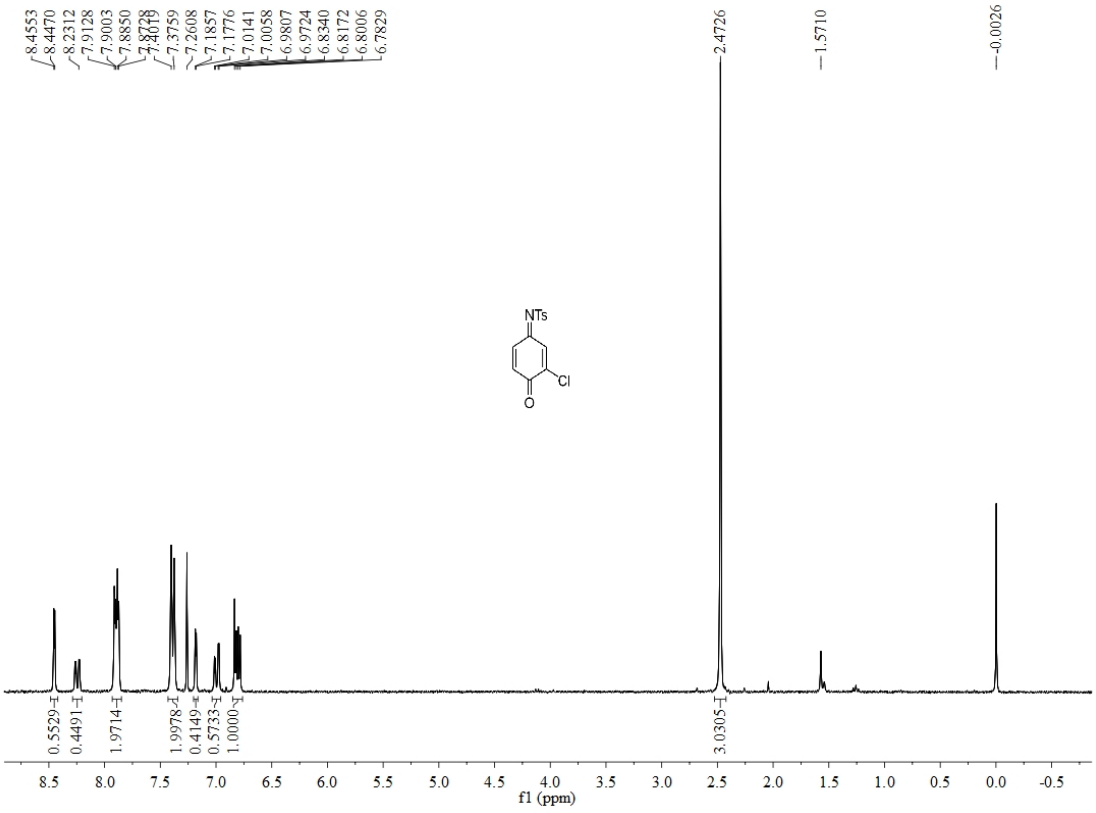
_N_-(4-oxocyclohexa-2,5-dien-1-ylidene)methanesulfonamide (2e):



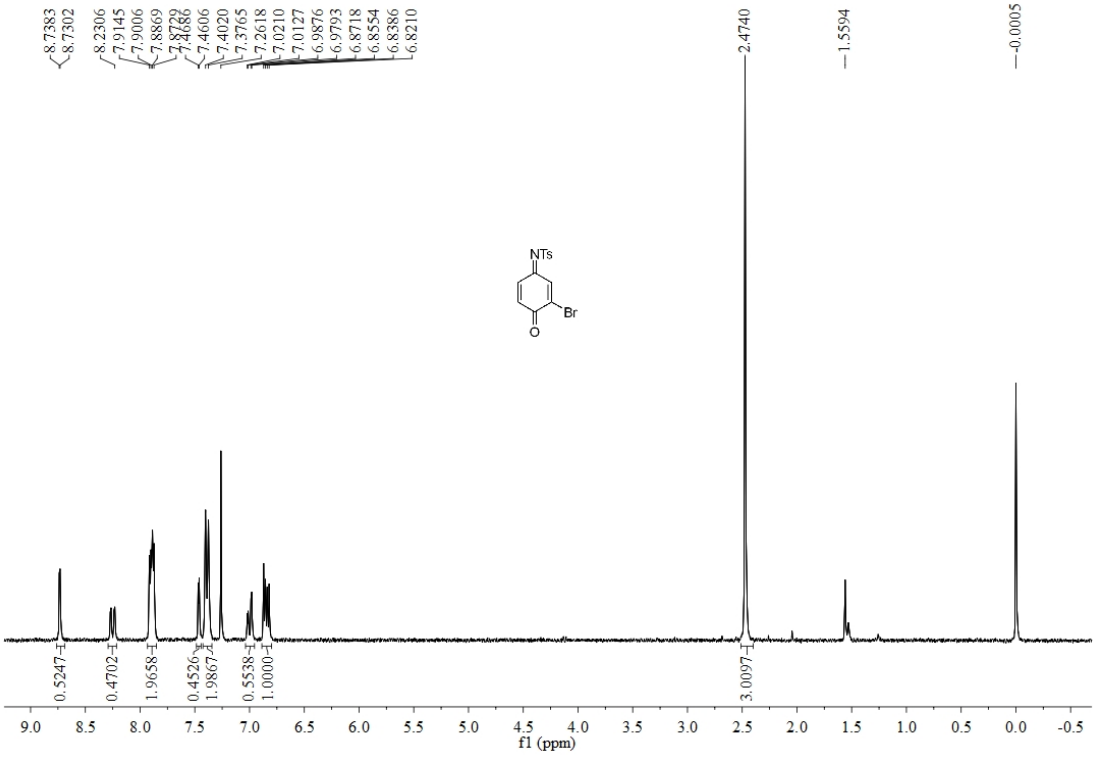
_N_-(2-chloro-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzenesulfonamide (2f):



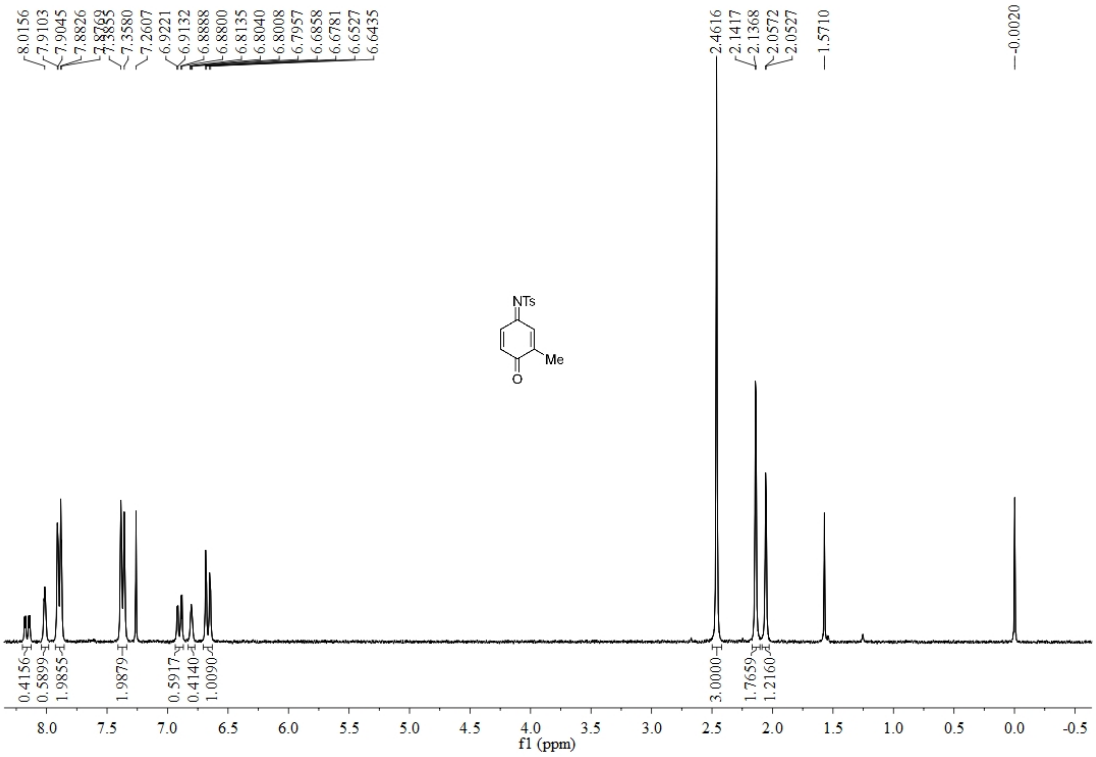
***N*-(3-chloro-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzenesulfonamide (2g)**:



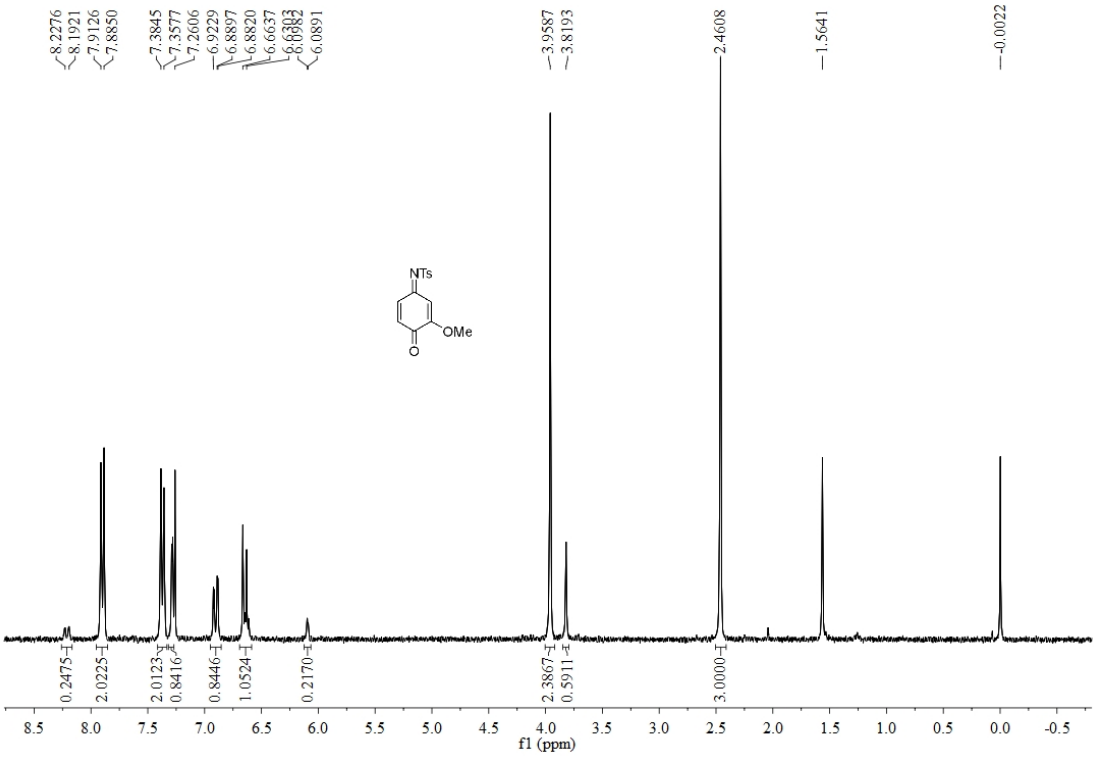
***N*-(3-bromo-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzenesulfonamide (2h):**

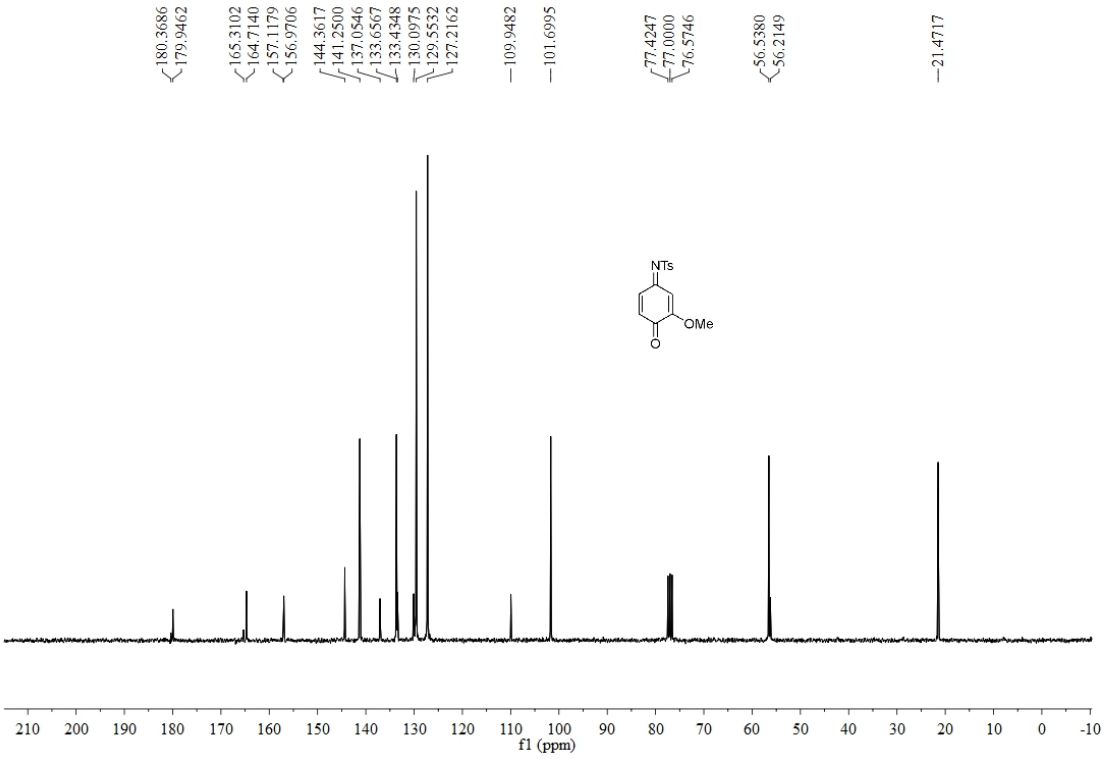


4-Methyl-*N*-(3-methyl-4-oxocyclohexa-2,5-dien-1-ylidene)benzenesulfonamide (2i):

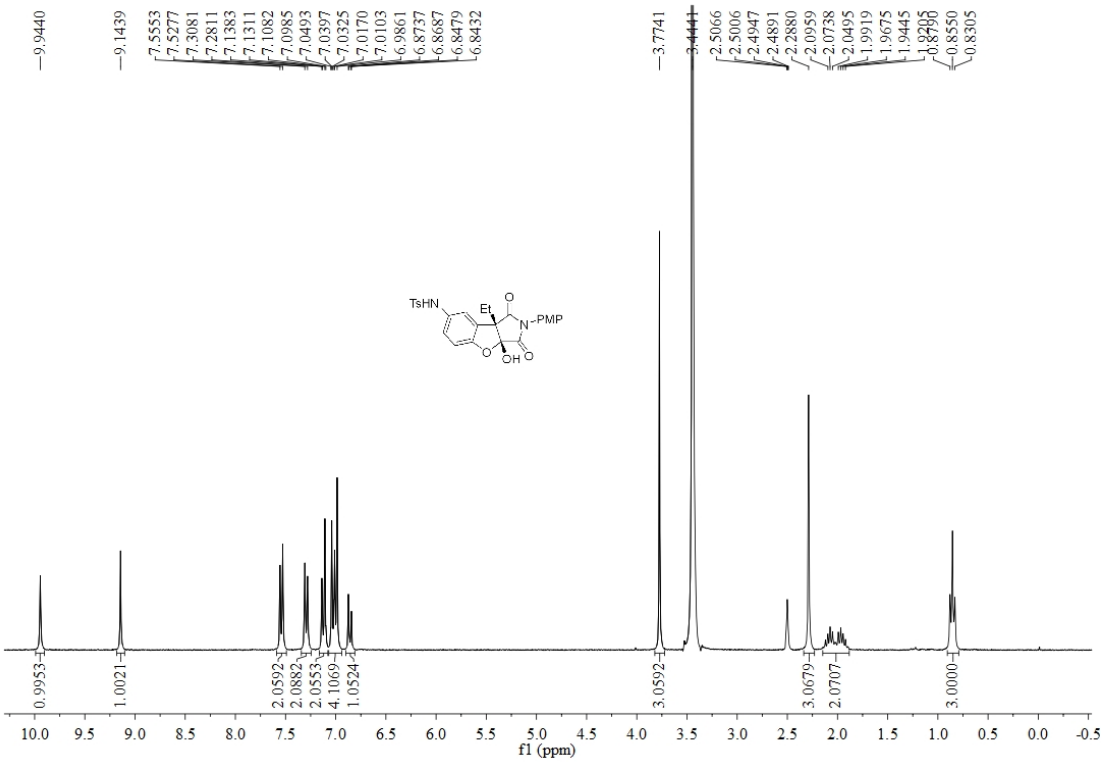


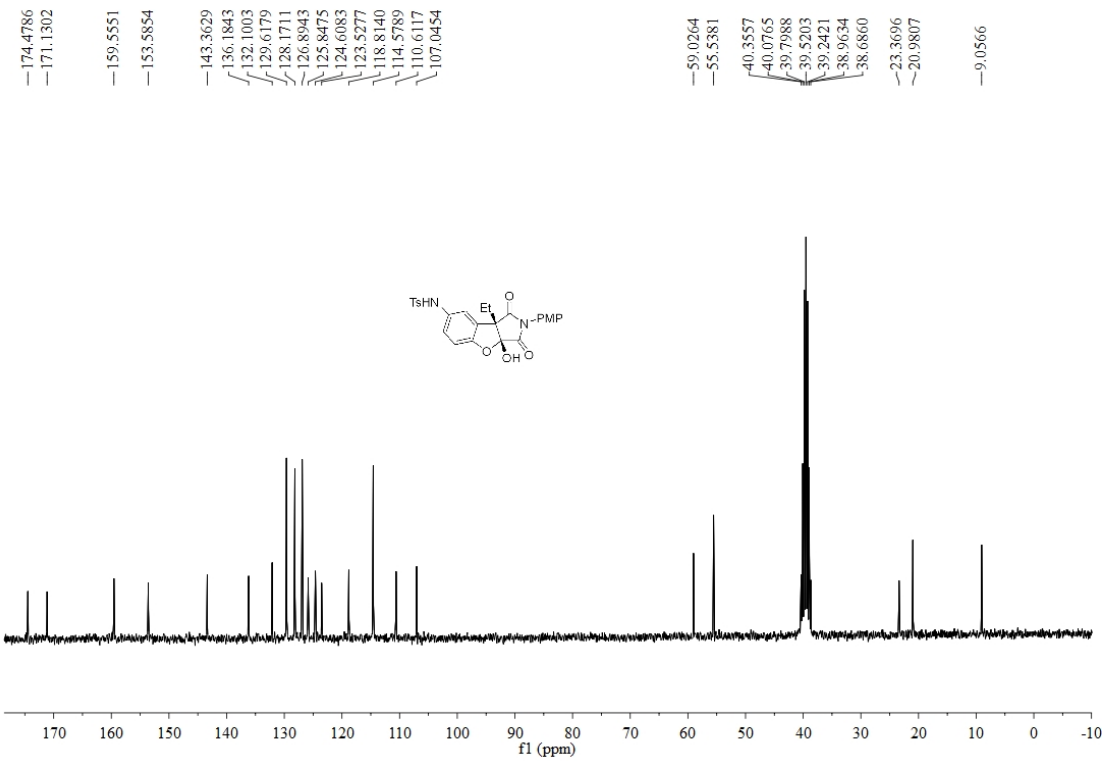
***N*-(3-methoxy-4-oxocyclohexa-2,5-dien-1-ylidene)-4-methylbenzenesulfonamide (2j):**



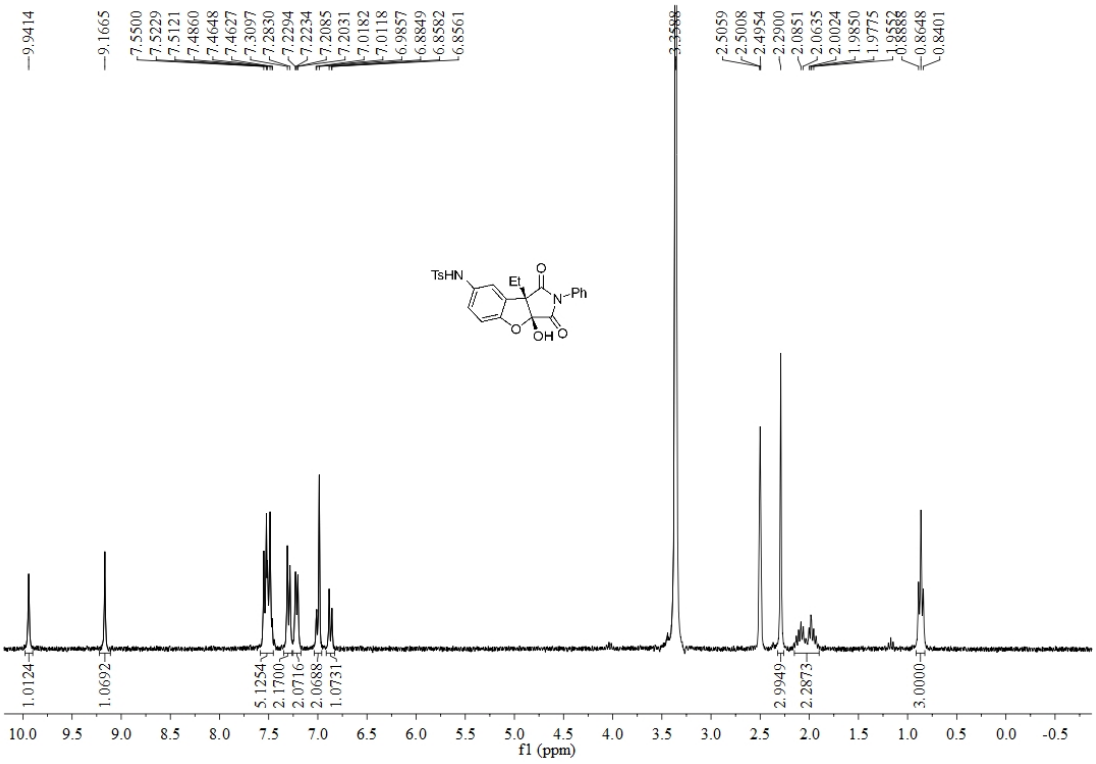


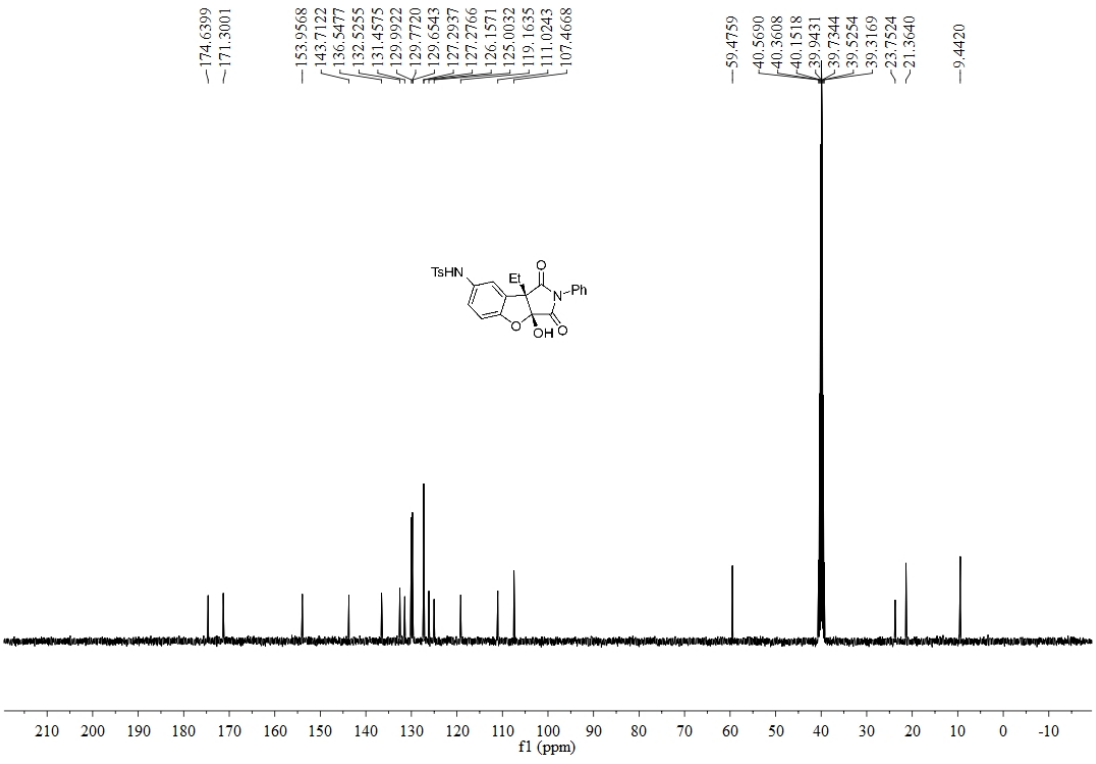
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3aa)**:



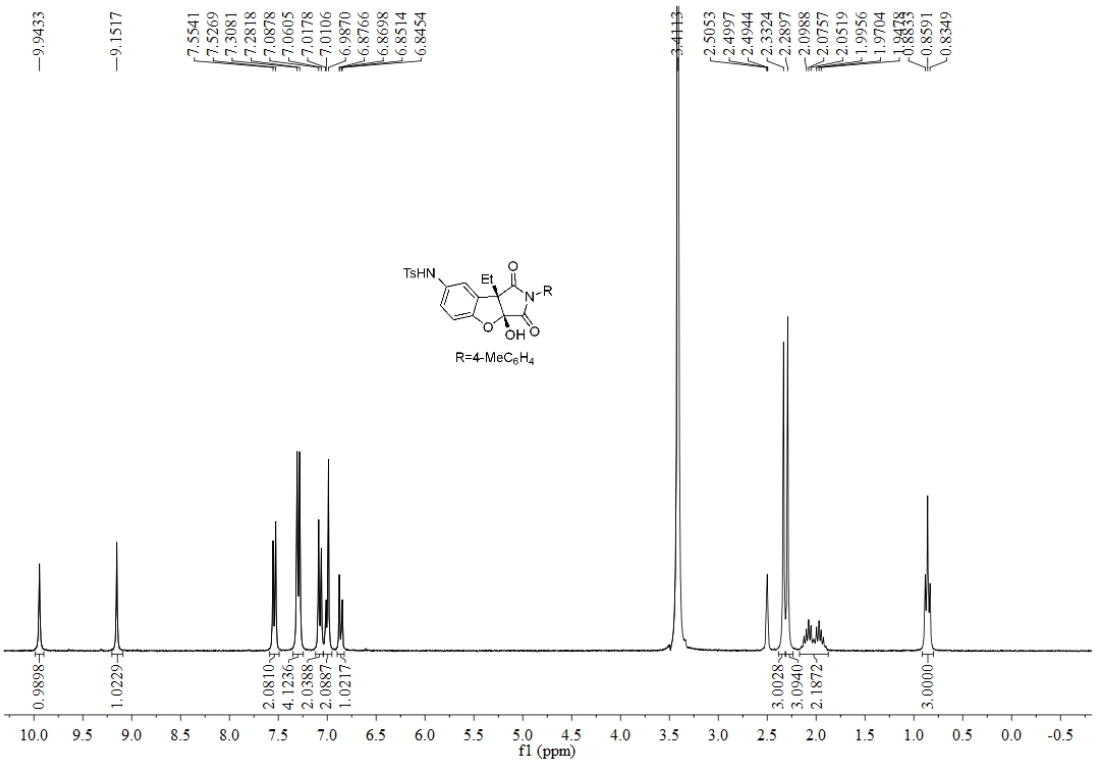


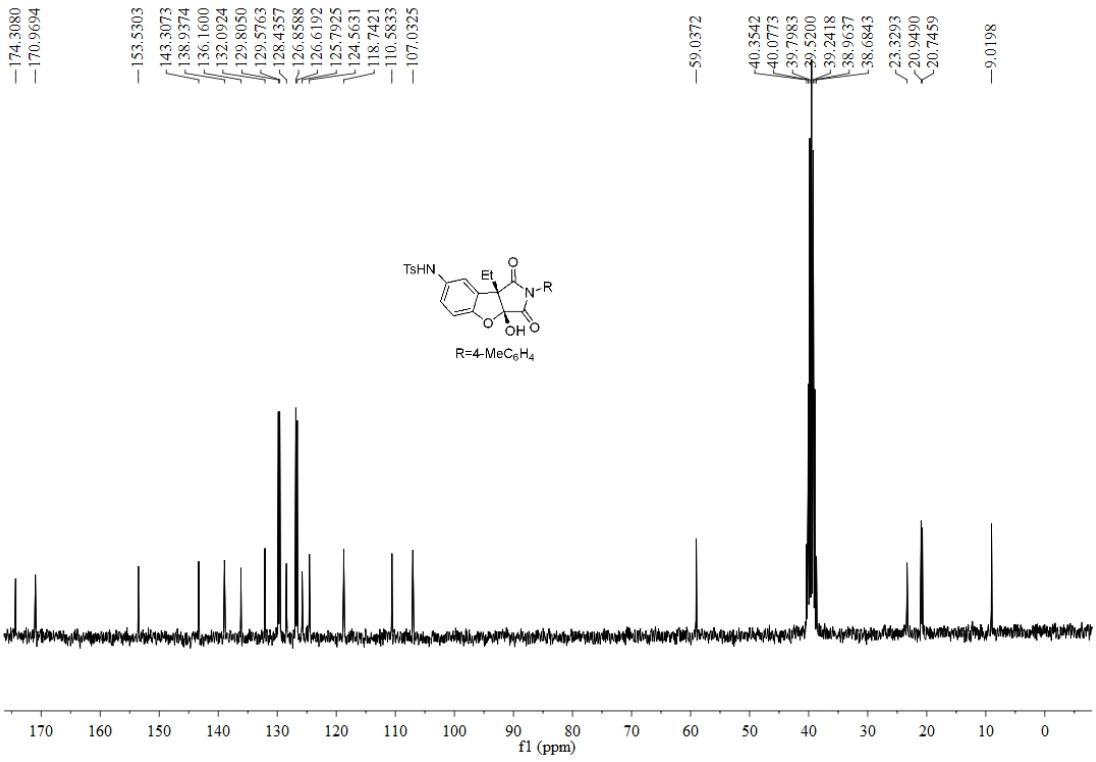
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ba):**



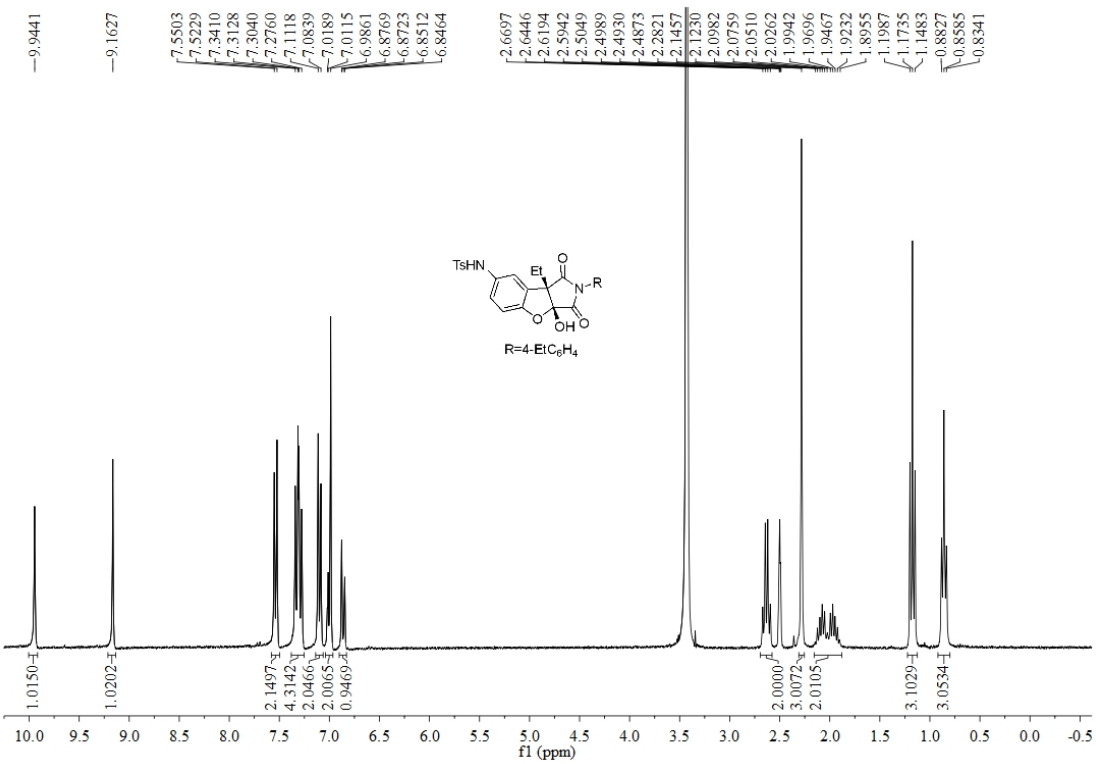


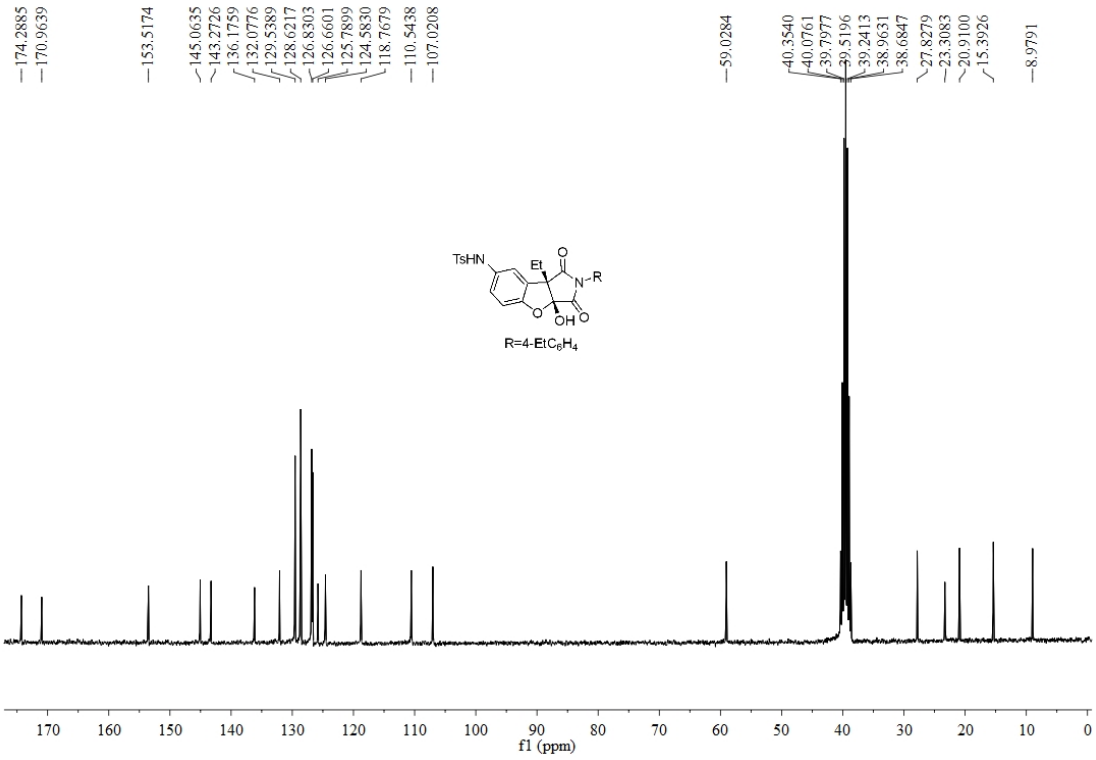
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(p-tolyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ca):**



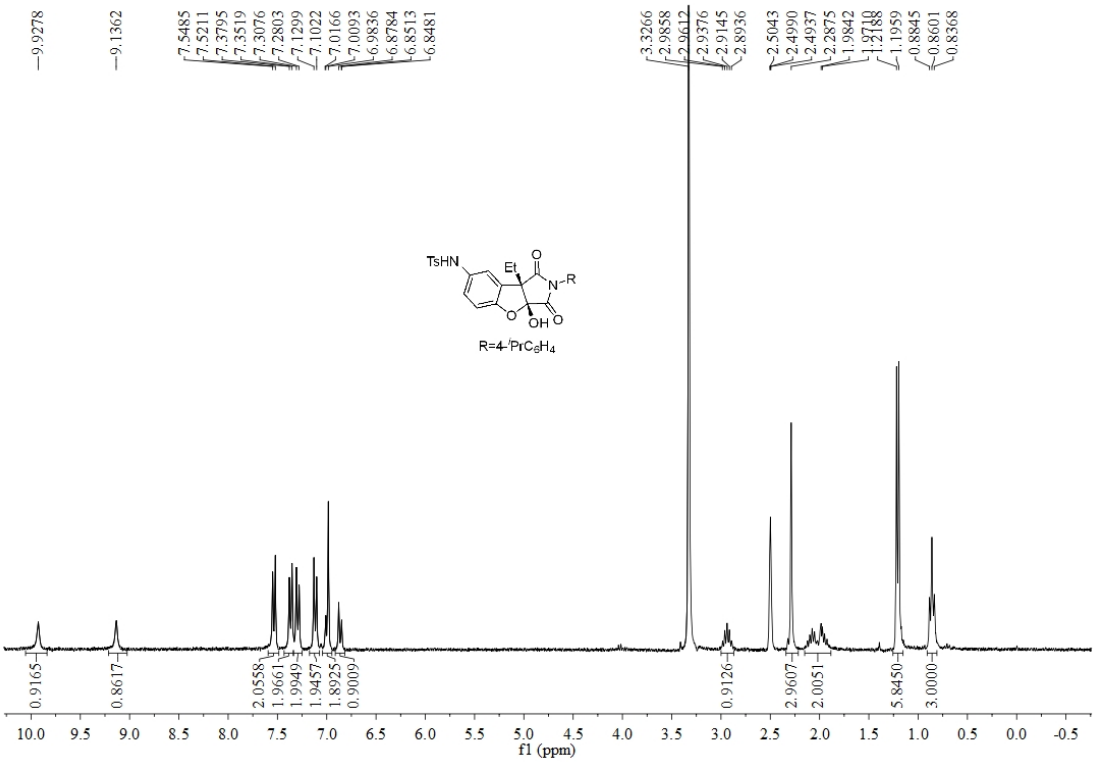


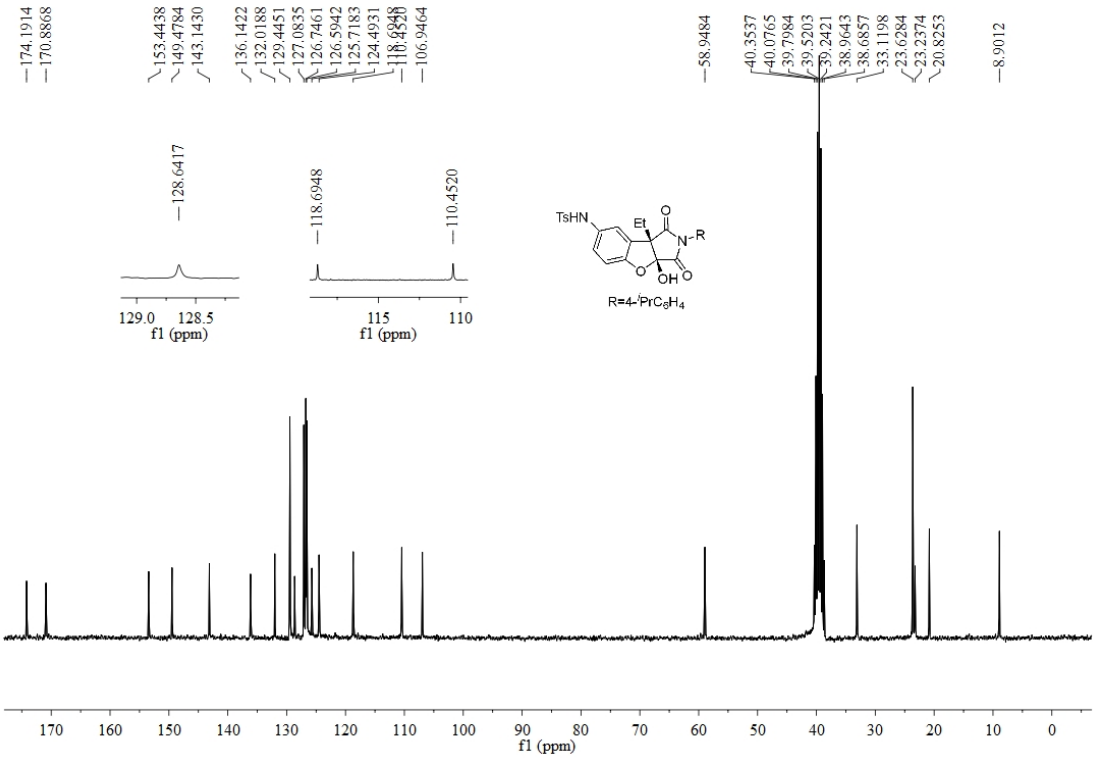
***N*-((3a*R*,8b*S*)-8b-ethyl-2-(4-ethylphenyl)-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3da):**



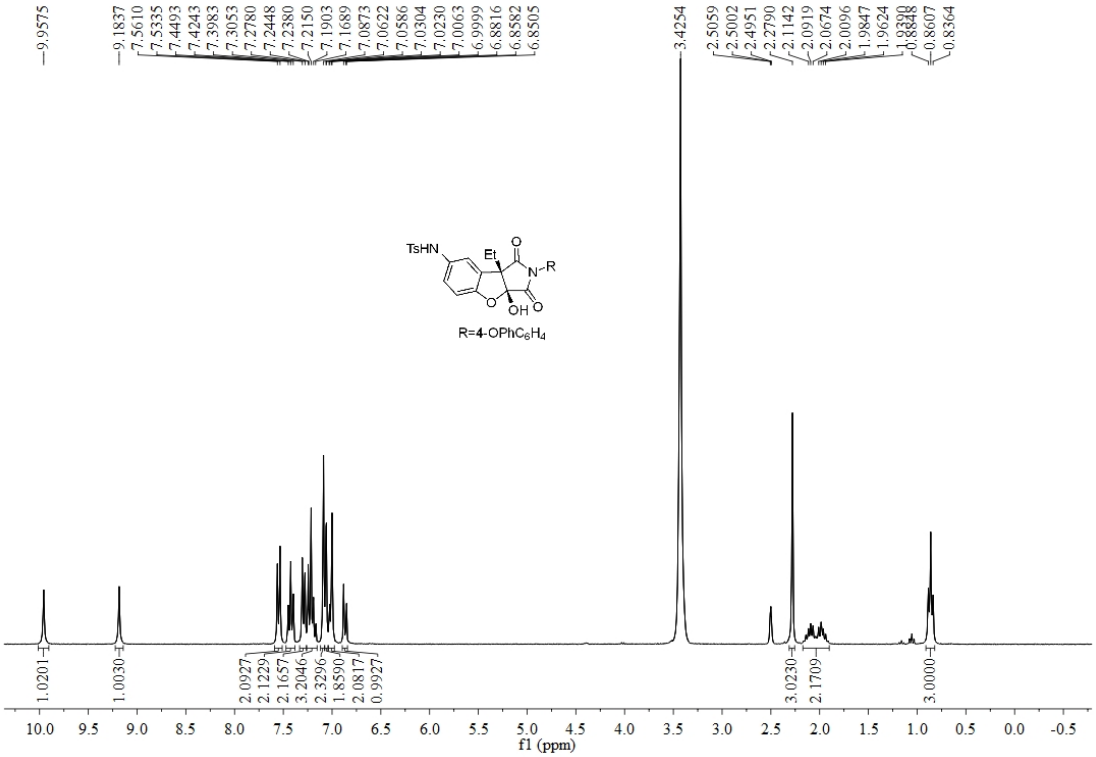


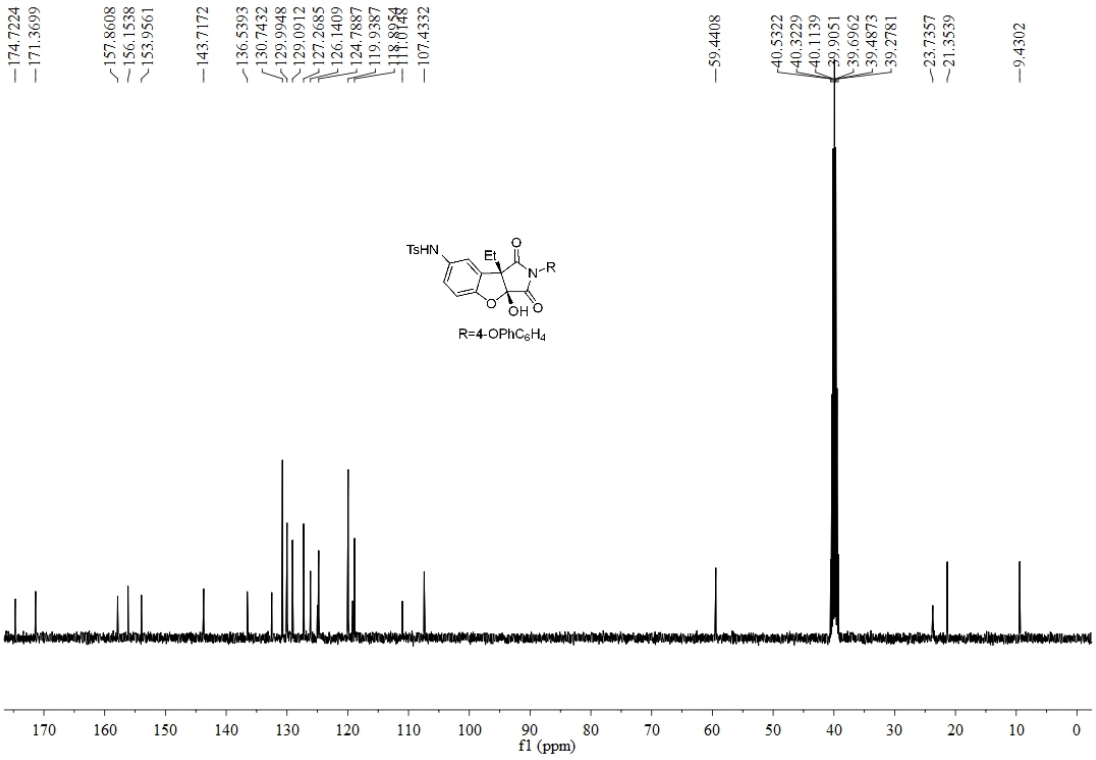
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(4-isopropylphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ea):**



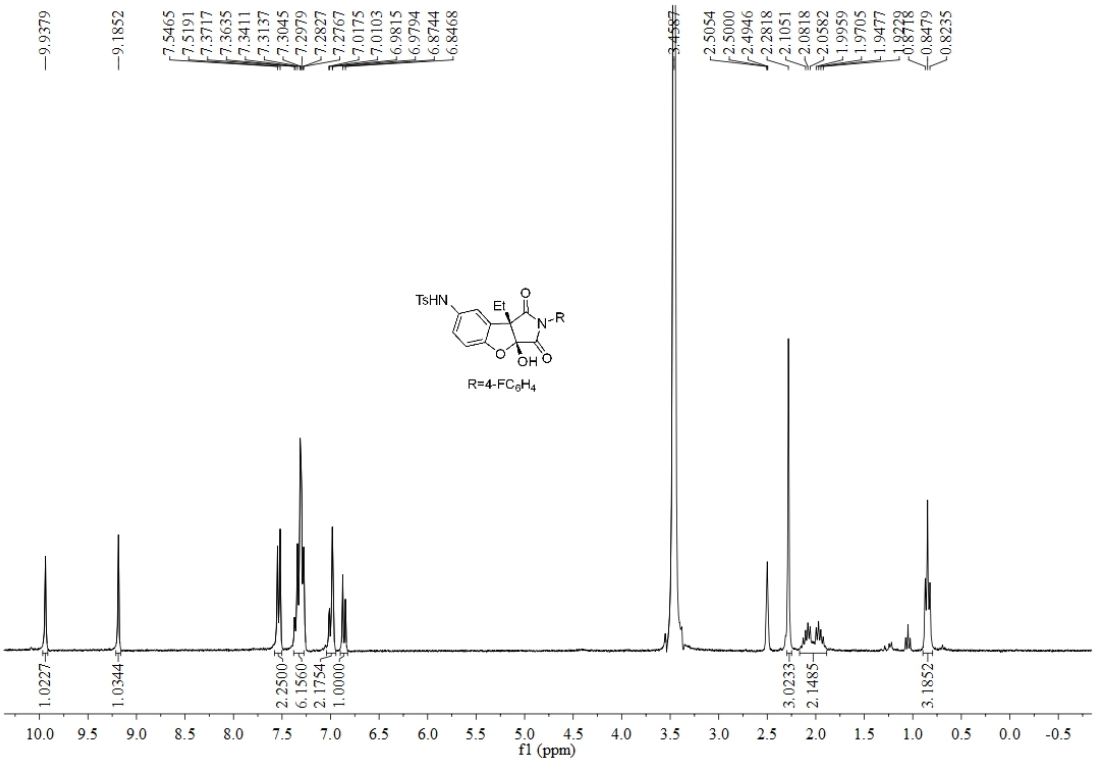


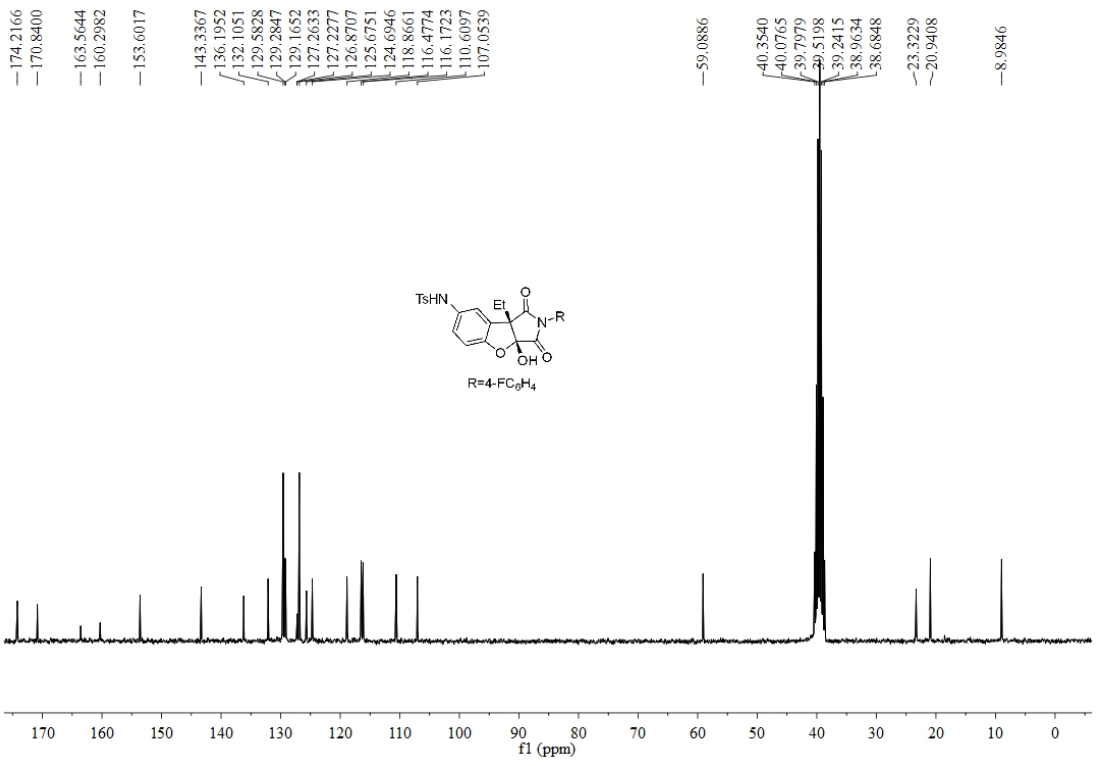
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(4-phenoxyphenyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3fa):**



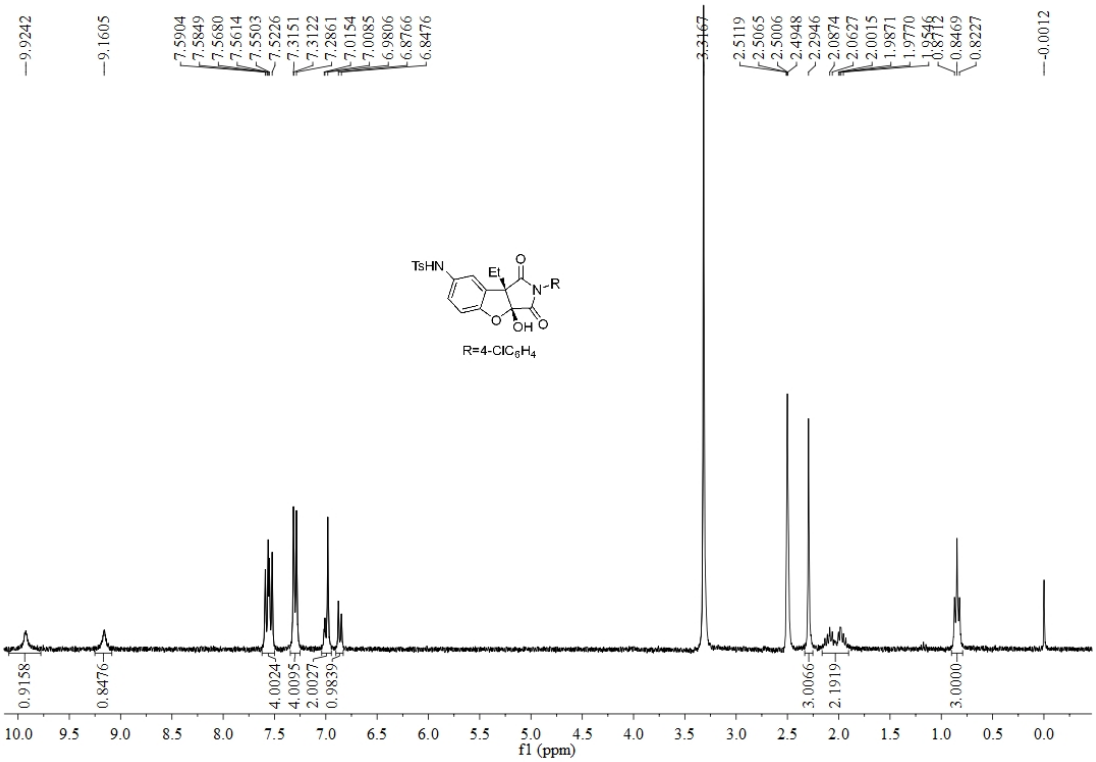


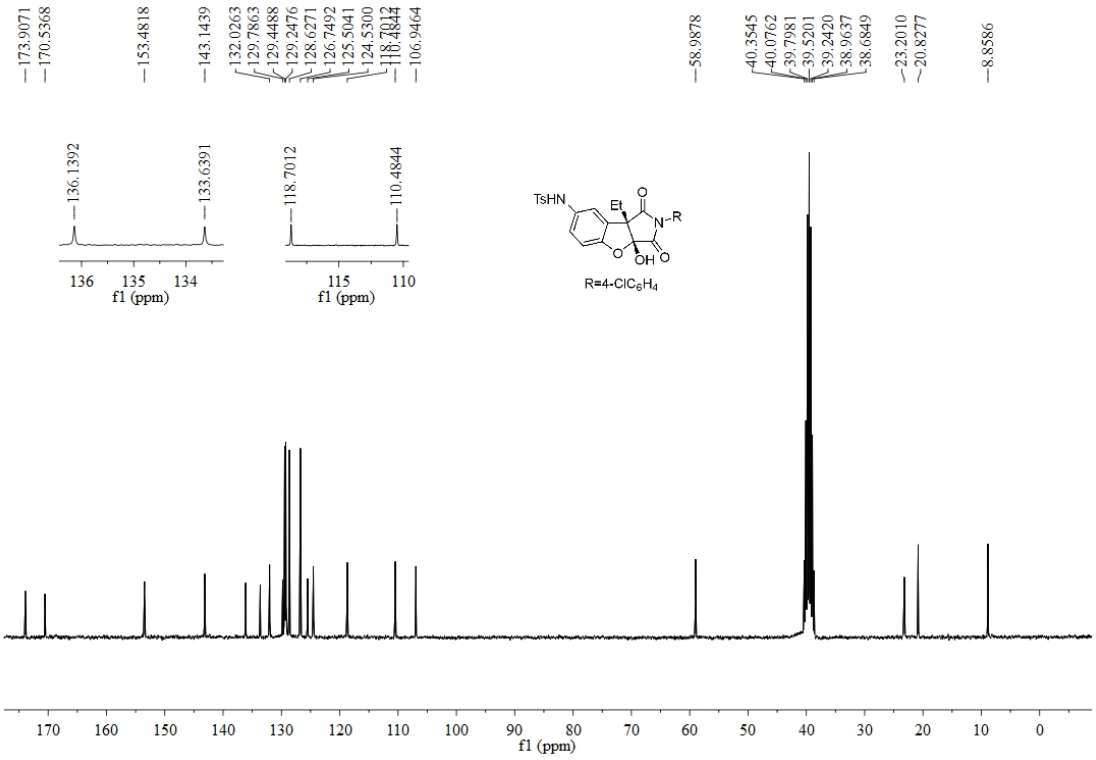
***N*-((3a*R*,8b*S*)-8b-ethyl-2-(4-fluorophenyl)-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ga):**



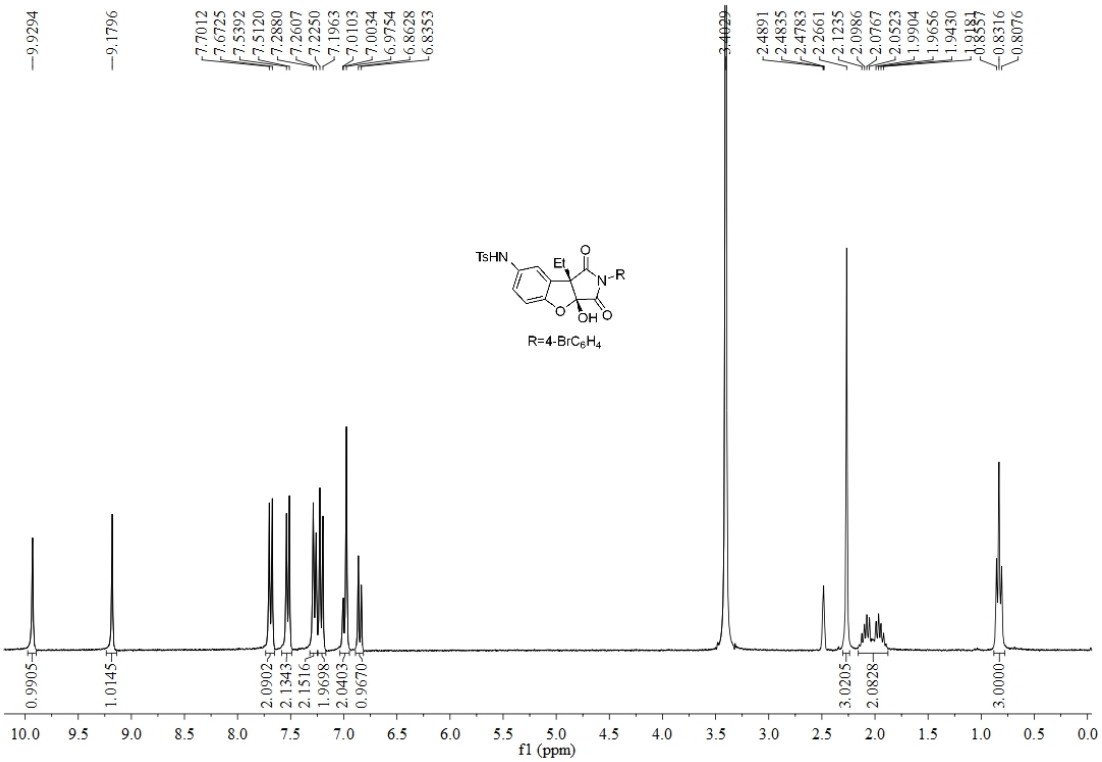


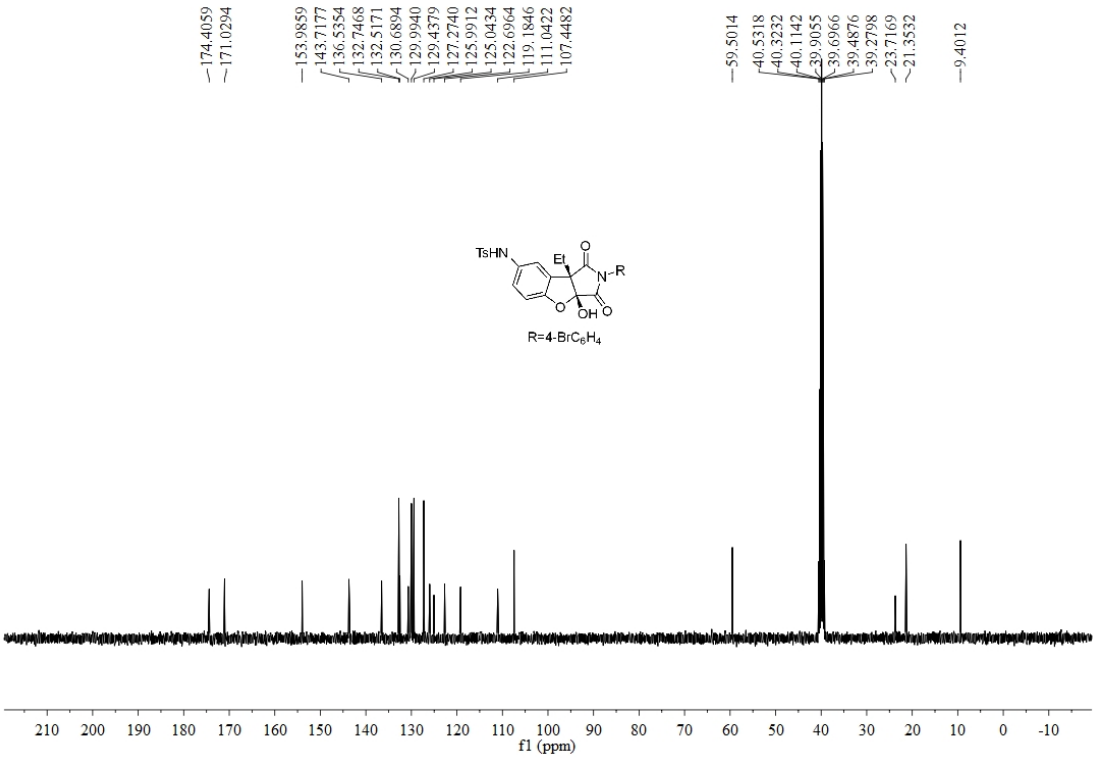
***N*-((3a*R*,8b*S*)-2-(4-chlorophenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ha):**



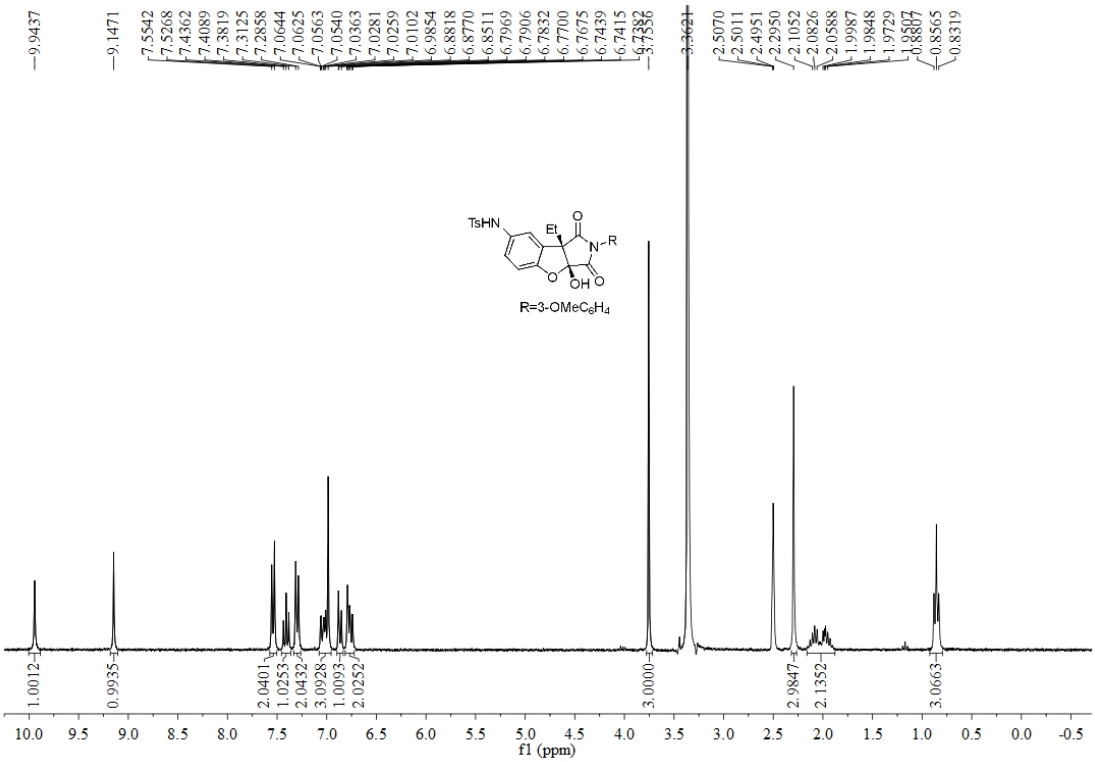


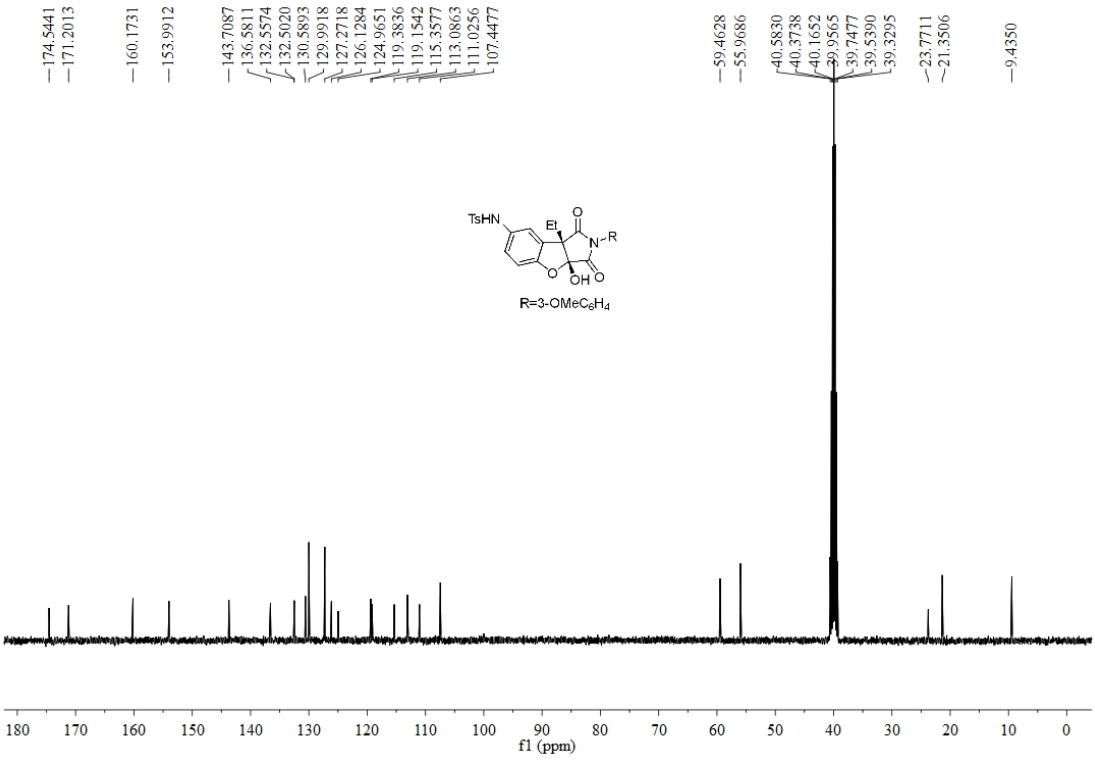
***N*-((3a*R*,8b*S*)-2-(4-bromophenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ia):**



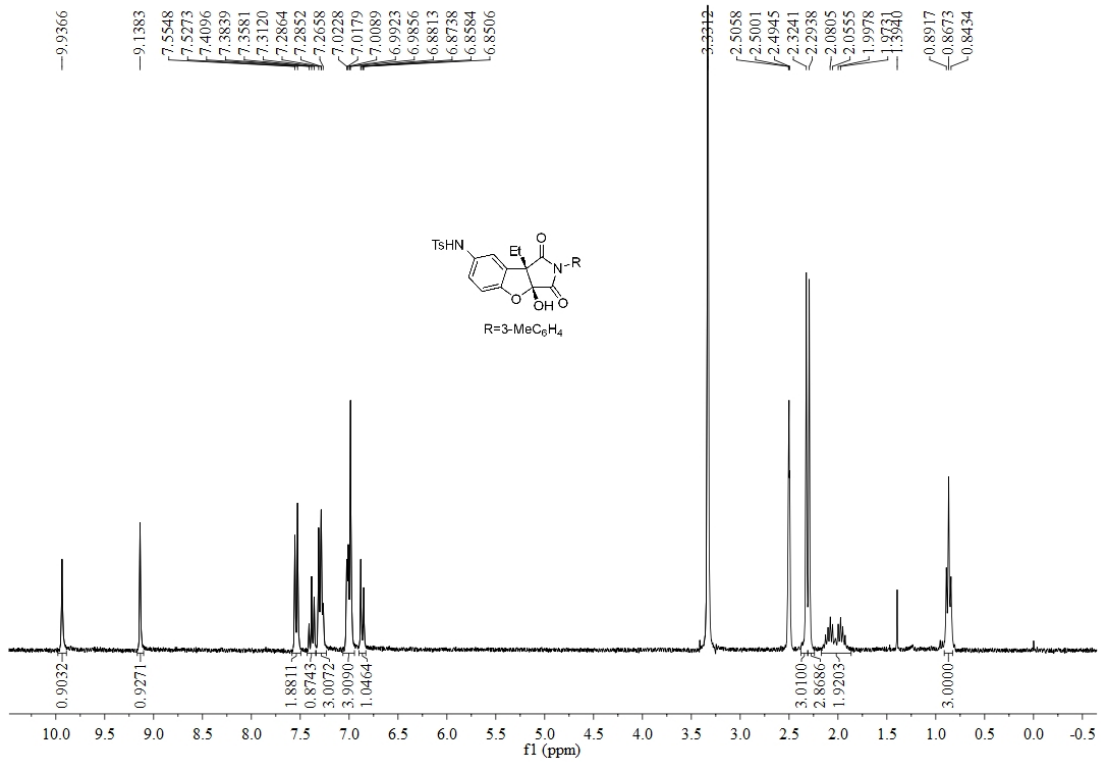


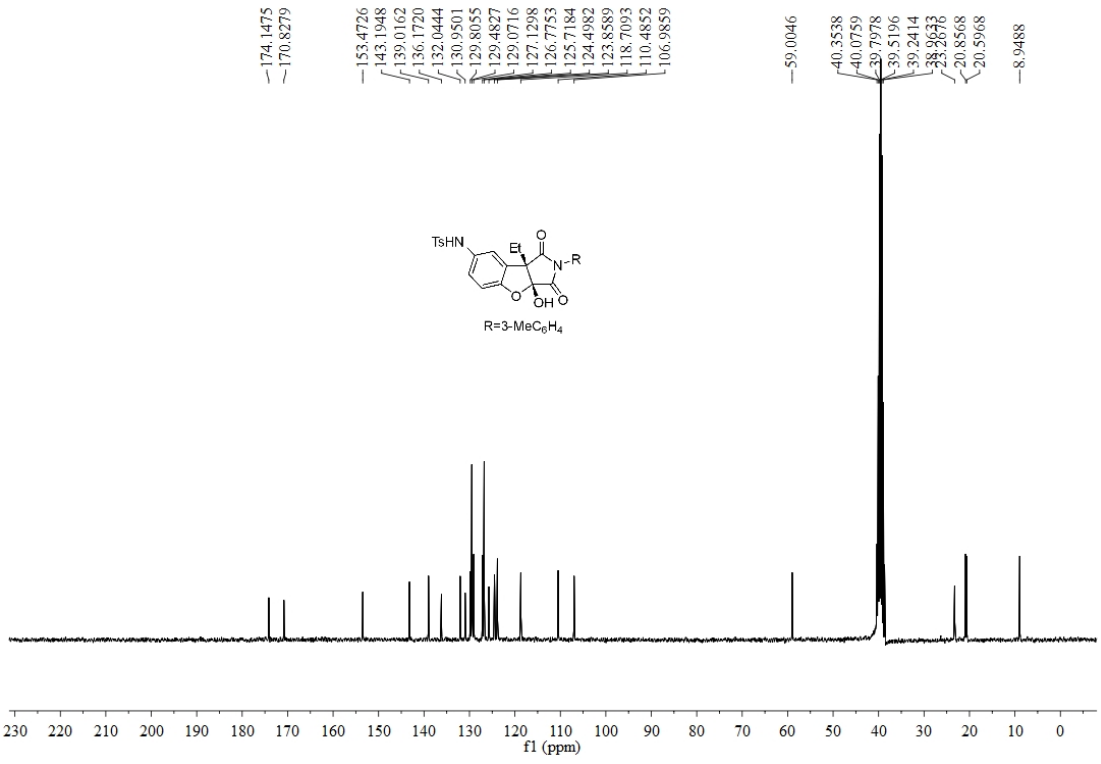
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(3-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ja):**



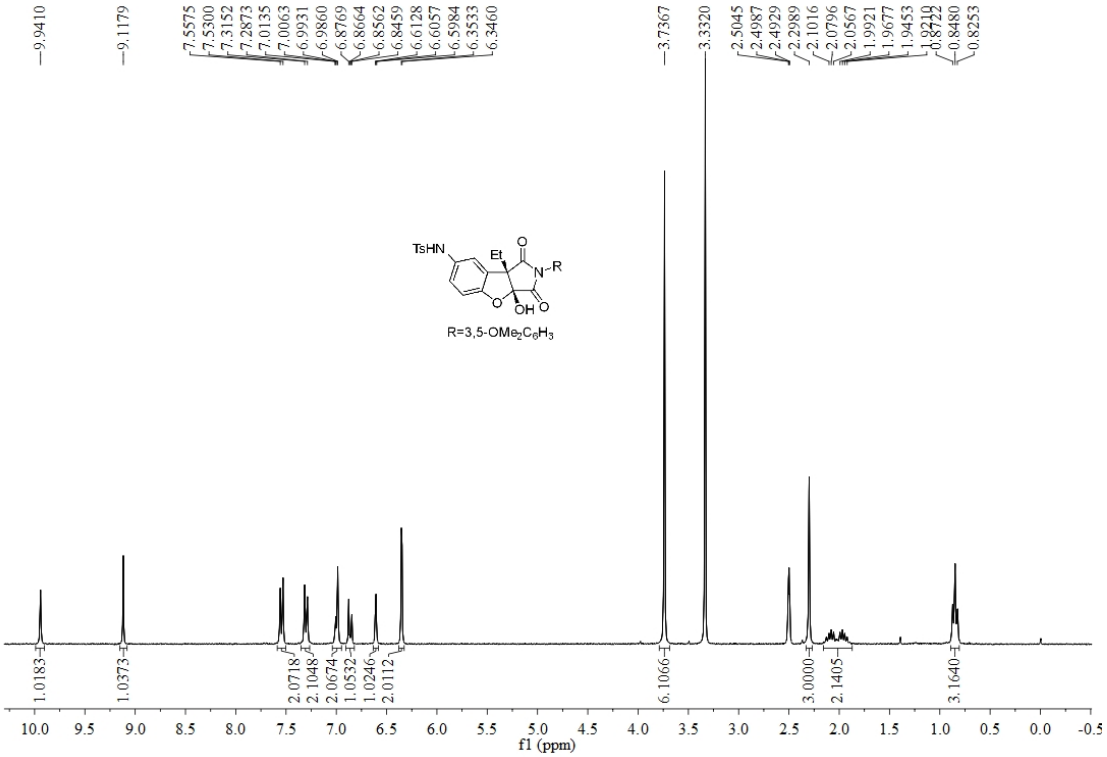


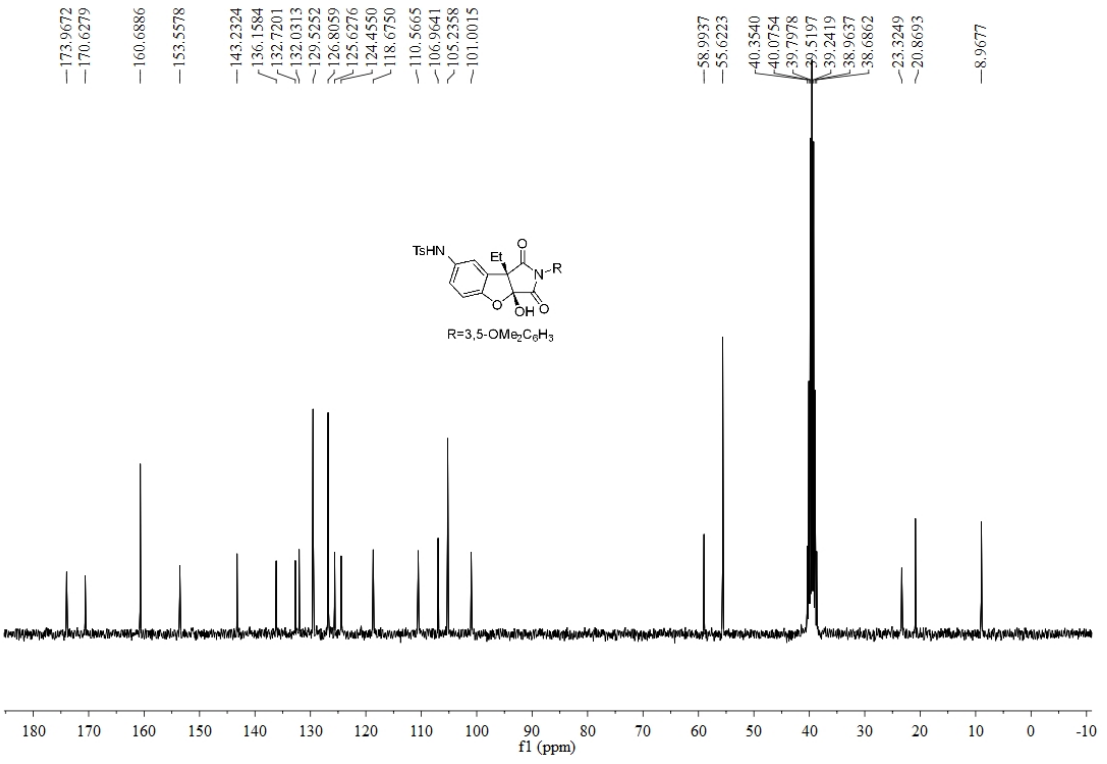
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(m-tolyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ka):**



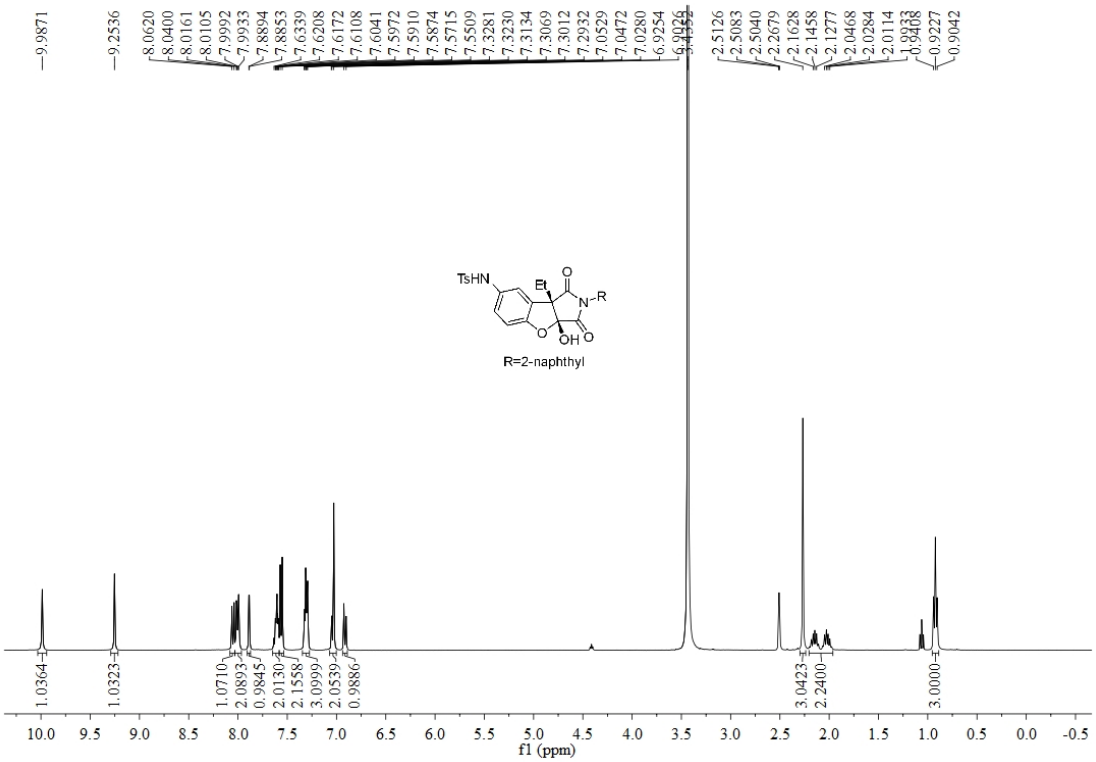


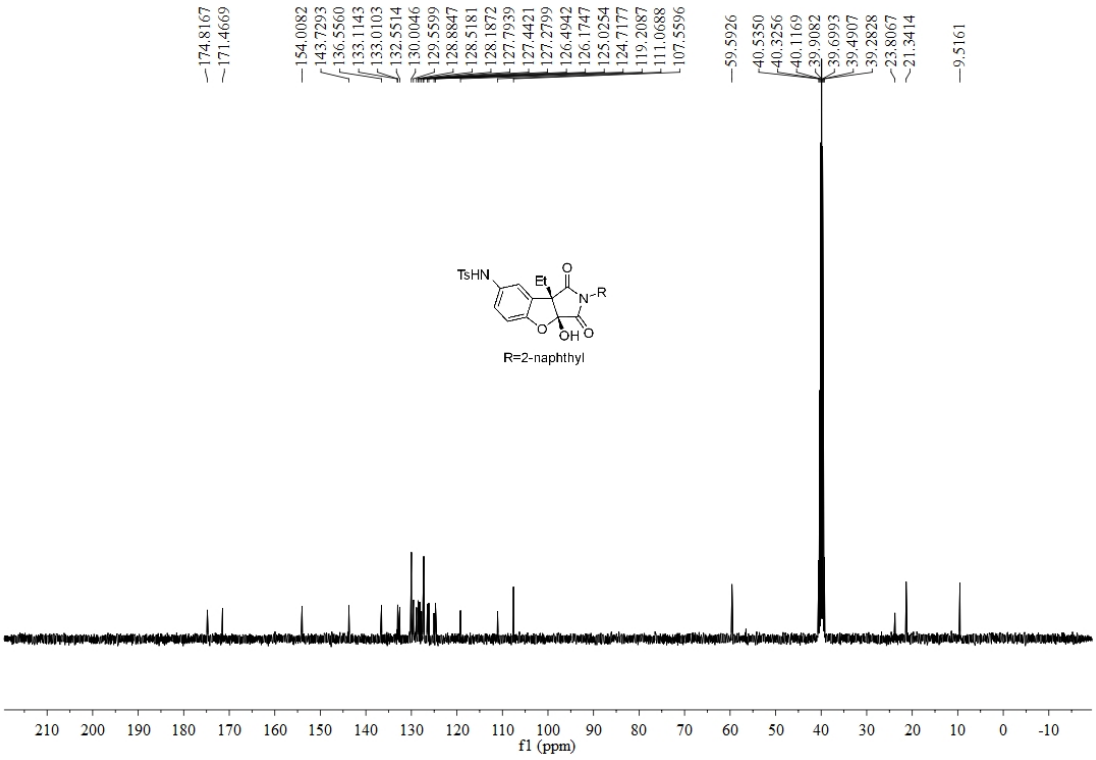
***N*-((3a*R*,8b*S*)-2-(3,5-dimethoxyphenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3la):**



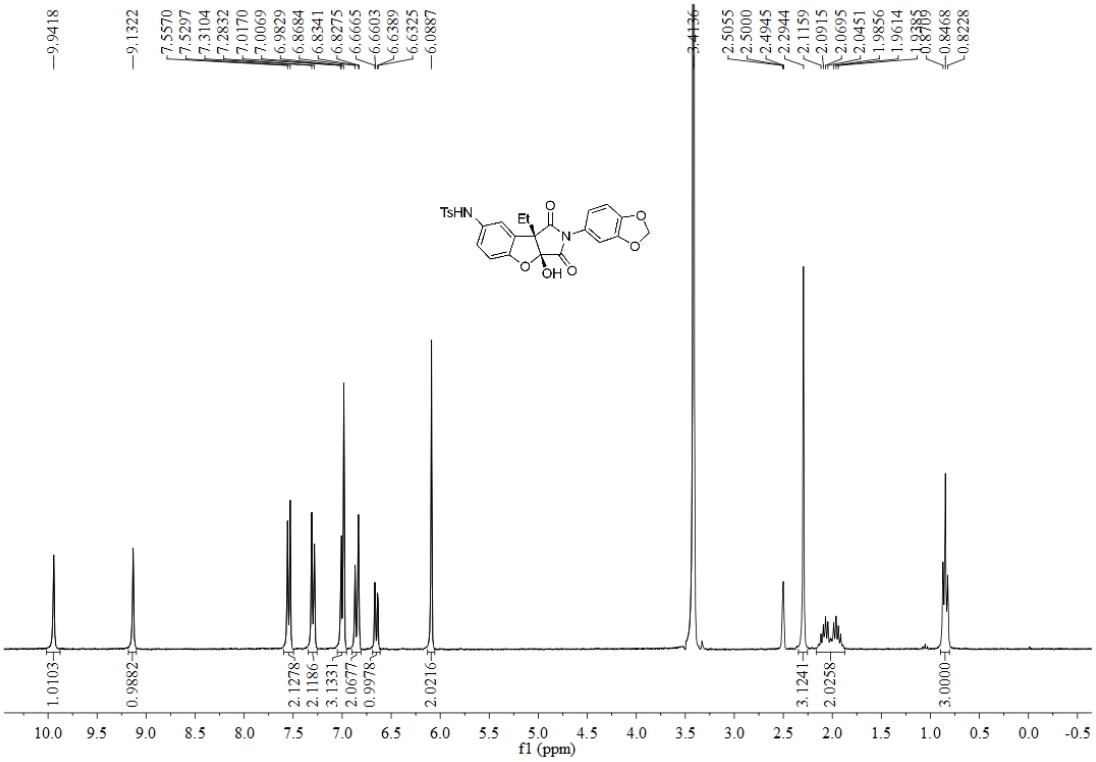


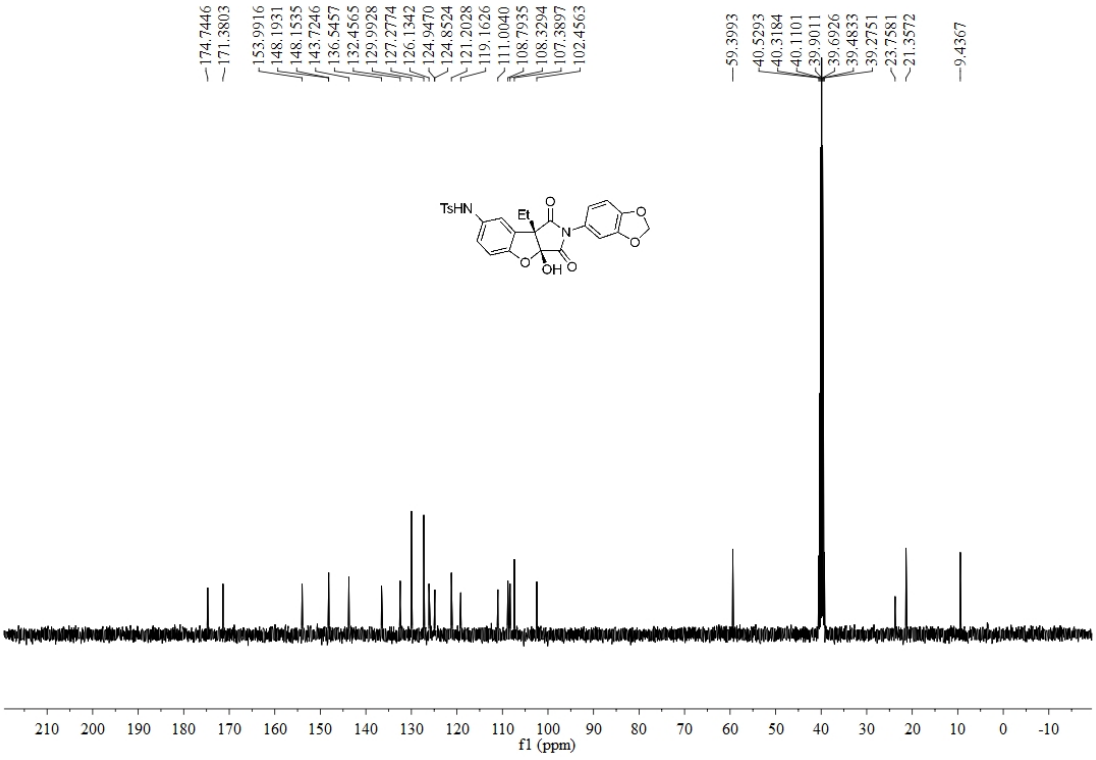
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(naphthalen-2-yl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ma):**



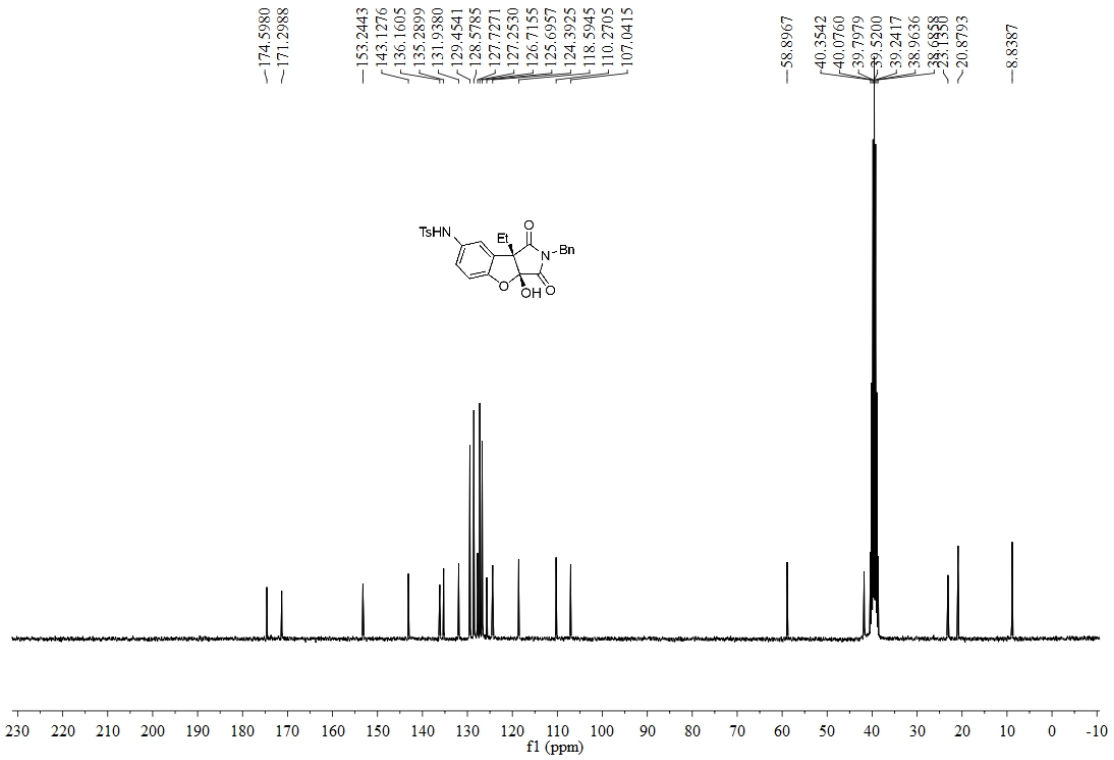


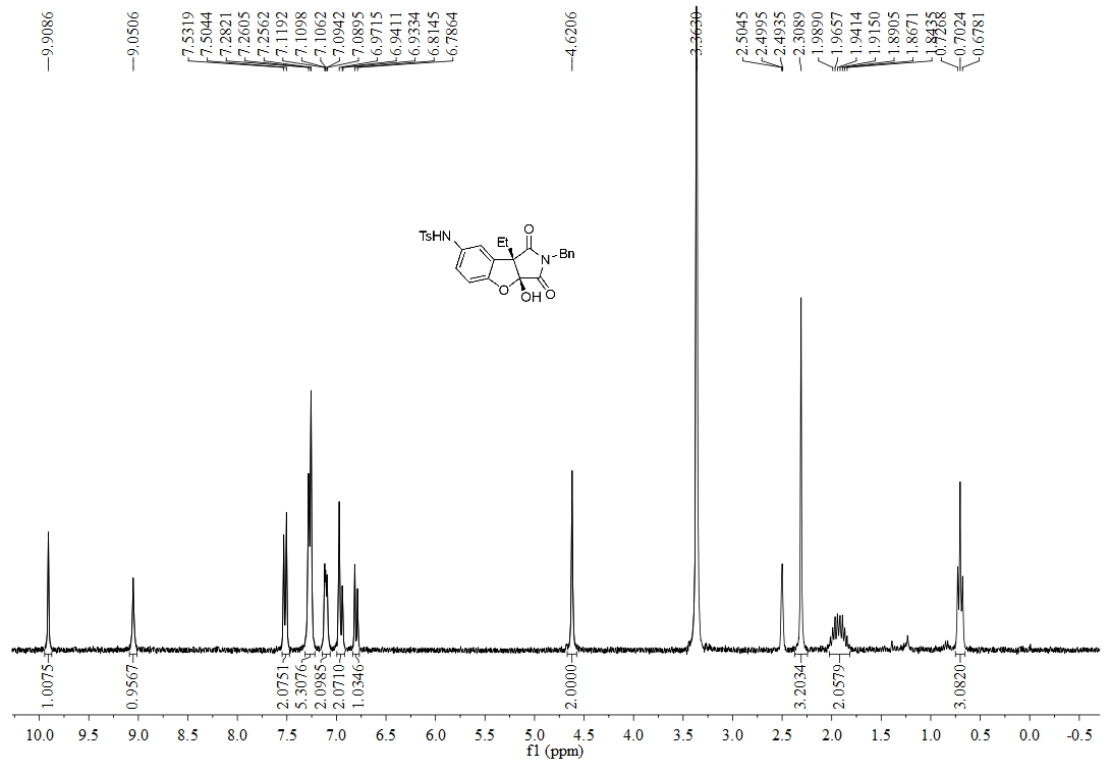
***N*-((3a*R*,8b*S*)-2-(benzo[d][1,3]dioxol-5-yl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3na):**



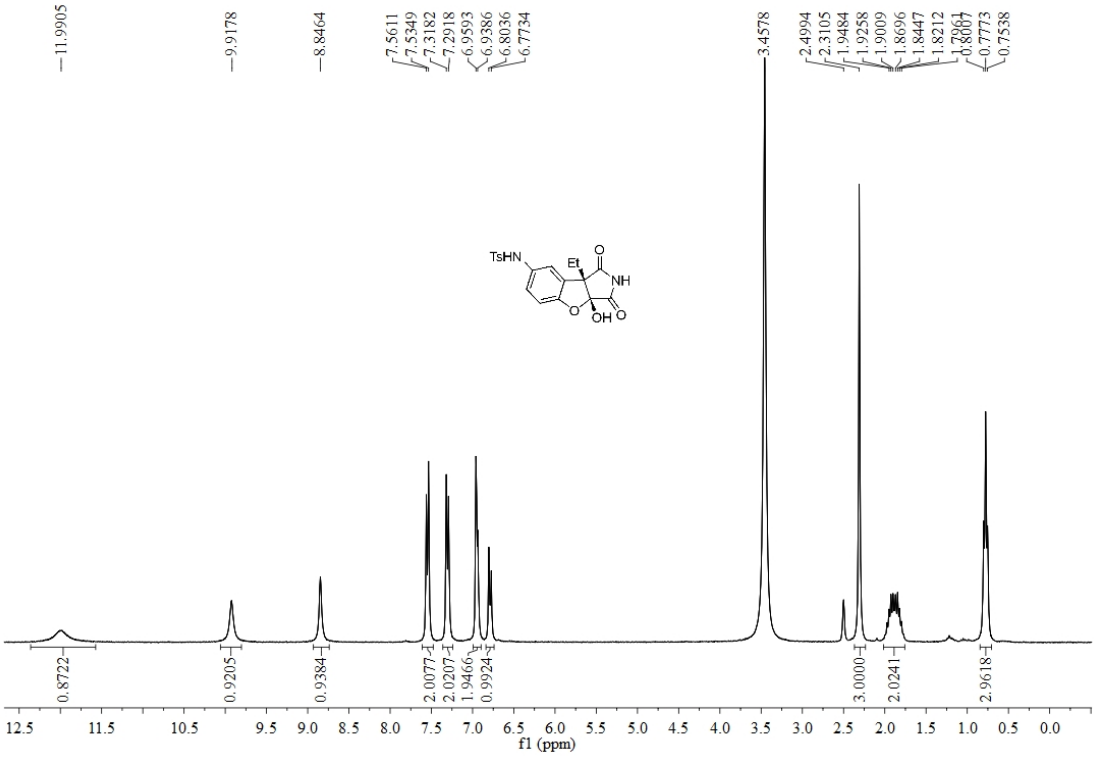


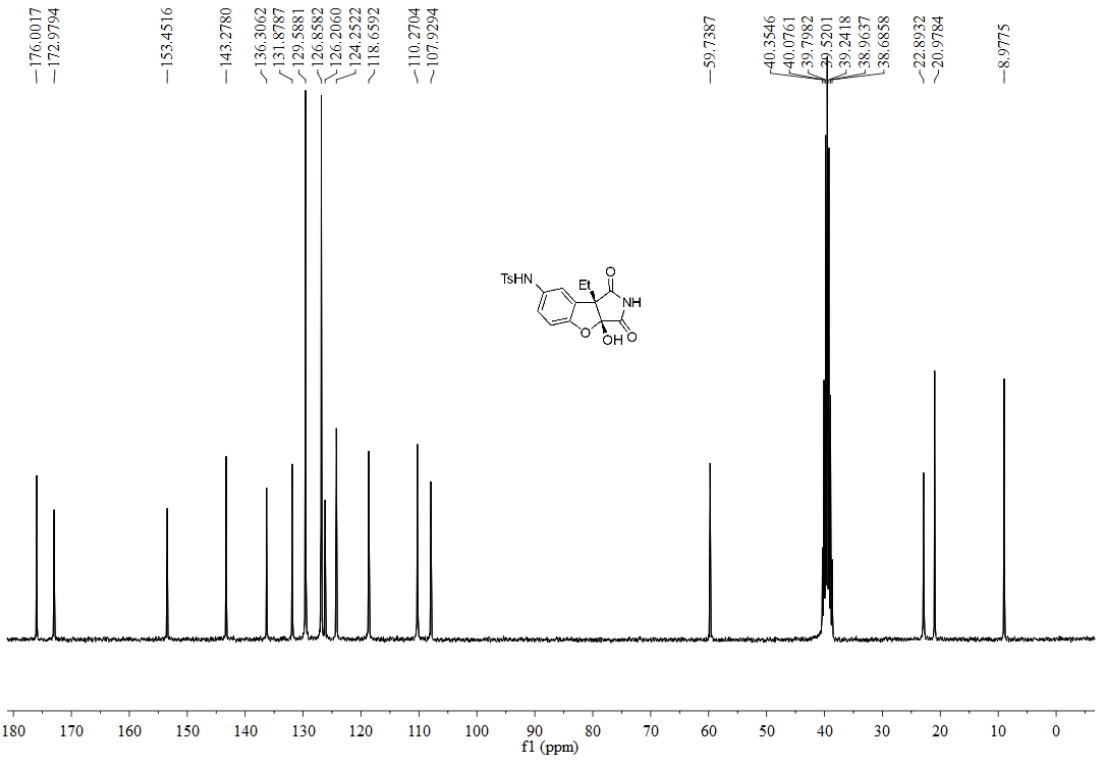
***N*-((3a*R*,8b*S*)-2-benzyl-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3oa):**



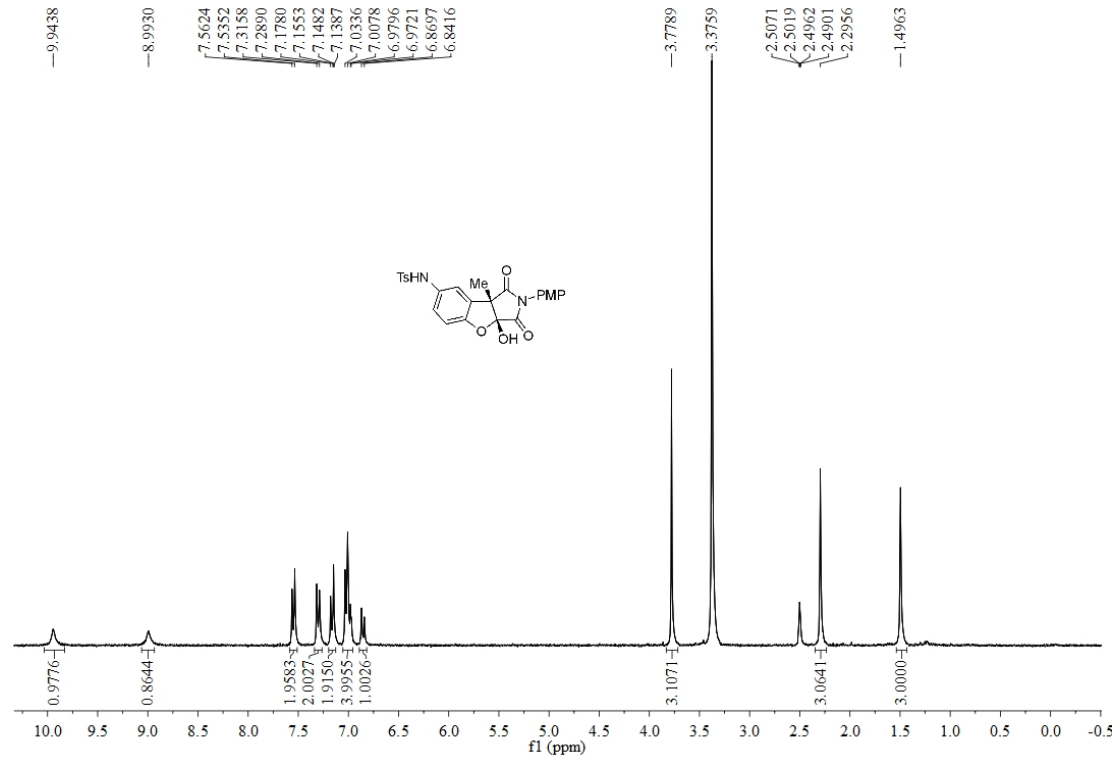


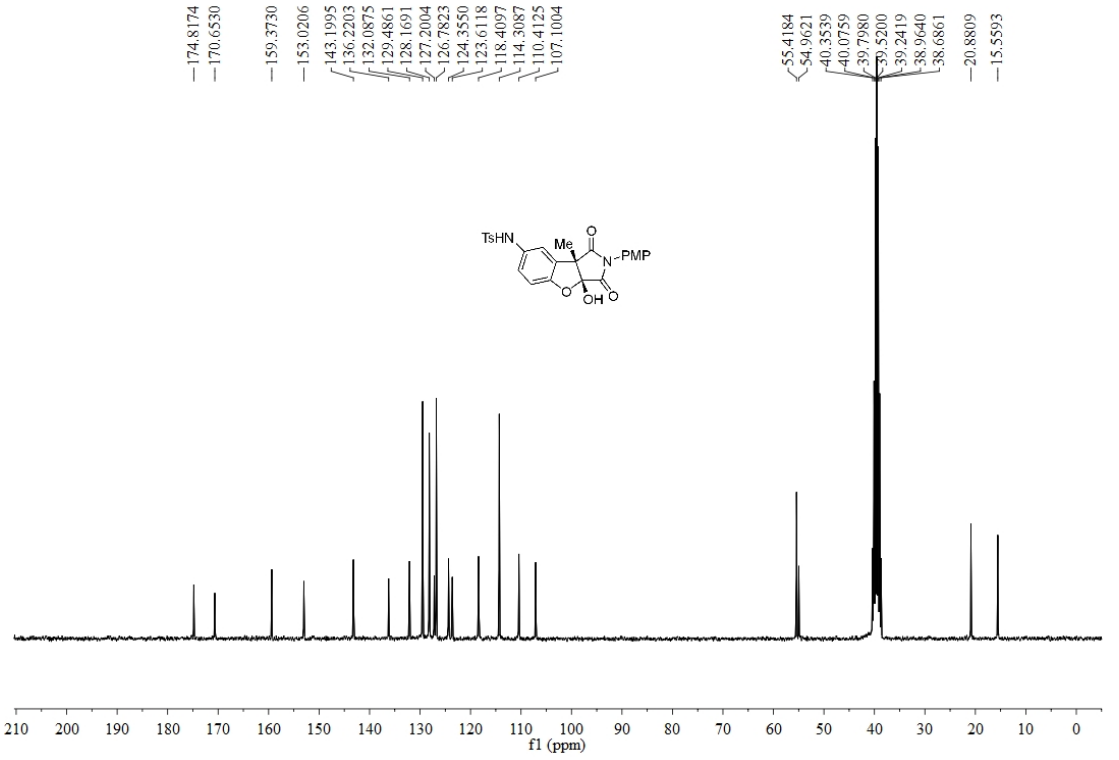
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c] pyrrol-7-yl)-4-methyl benzenesulfonamide (3pa):**



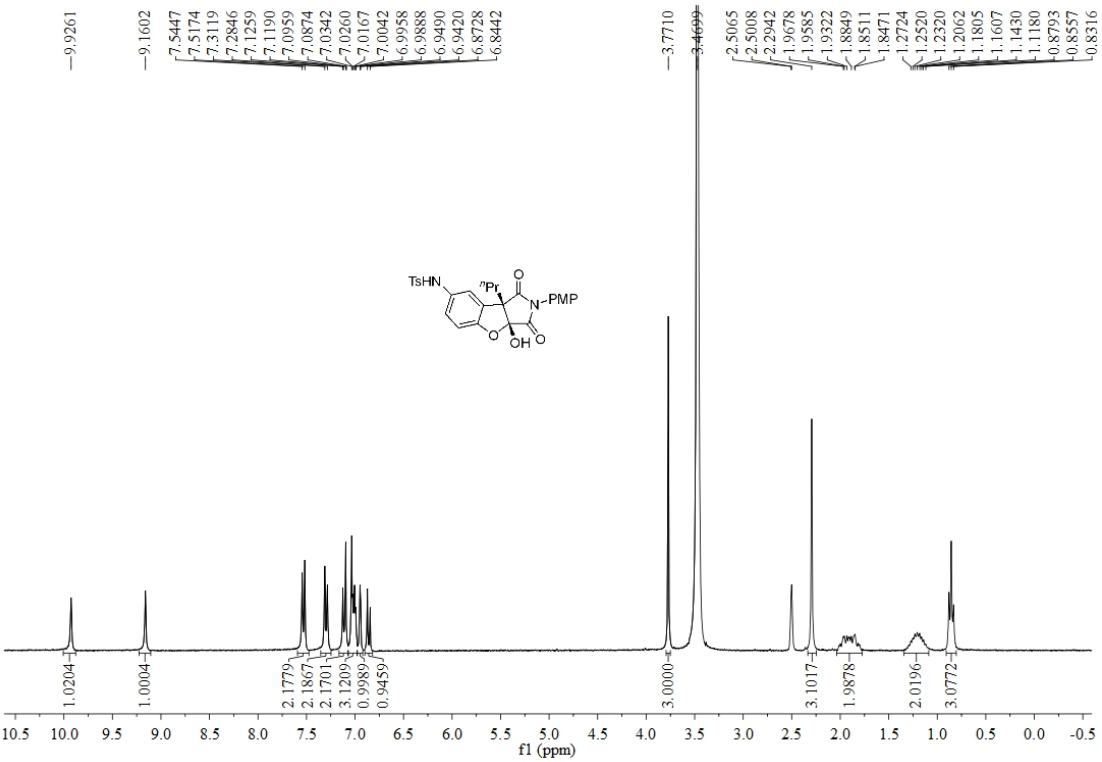


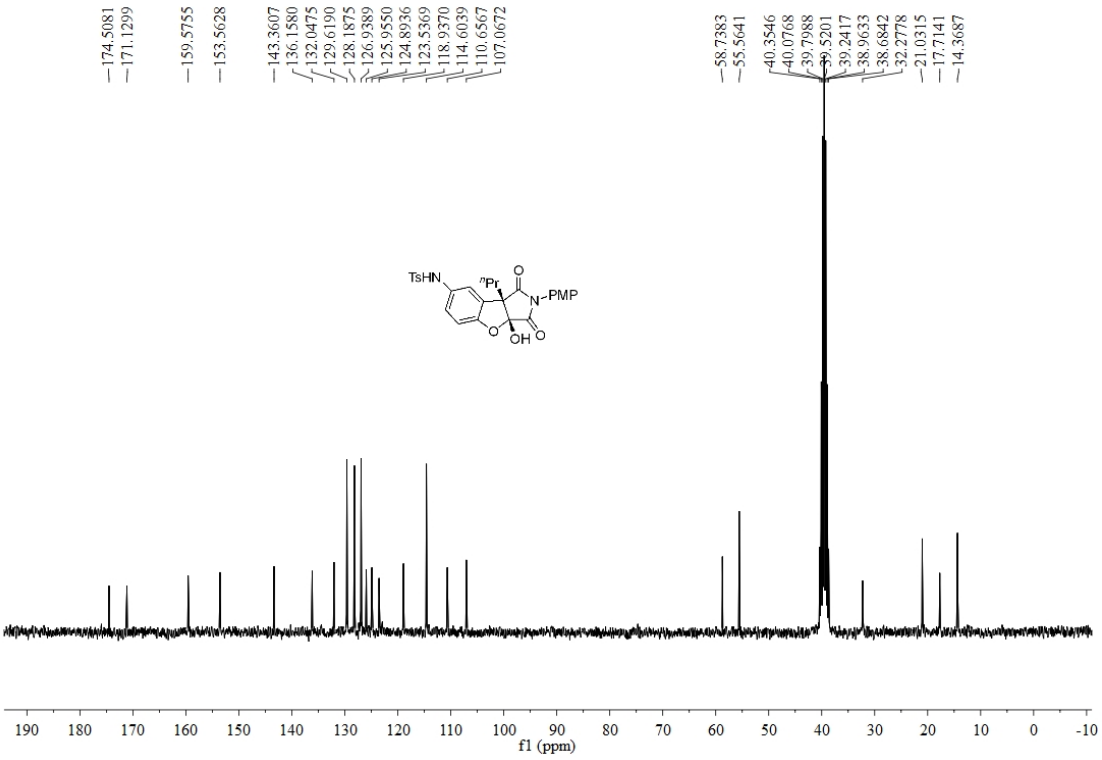
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-8b-methyl-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzo-furo[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3qa):**



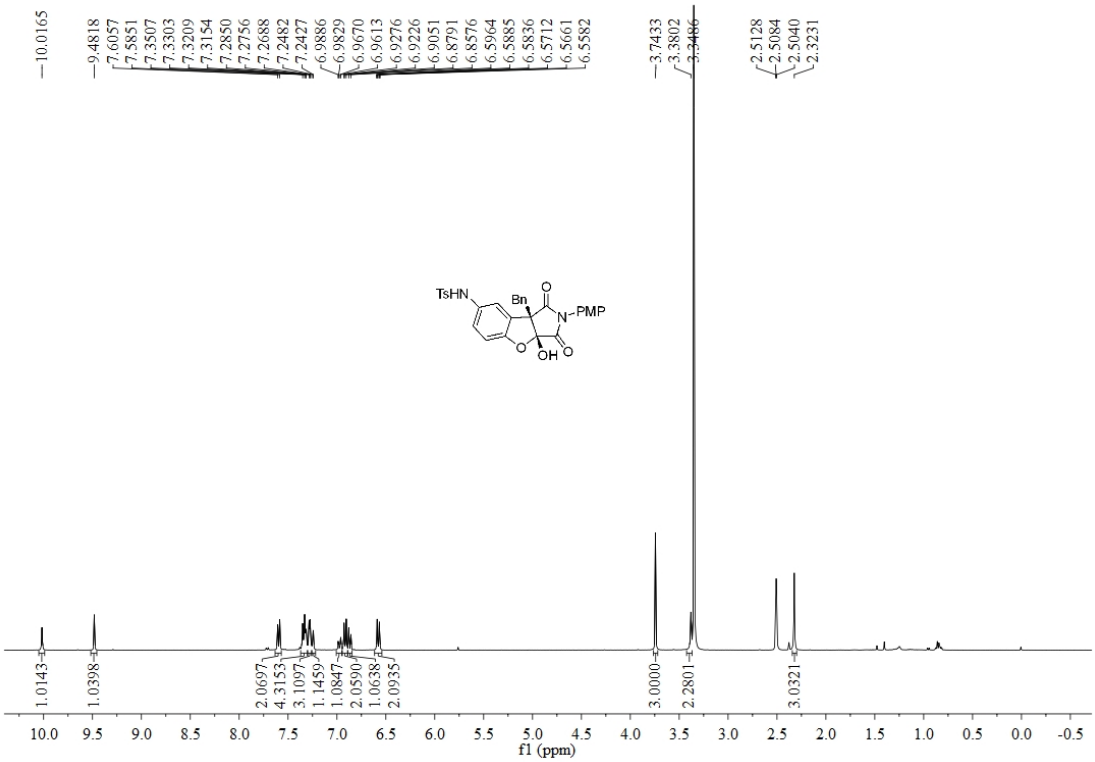


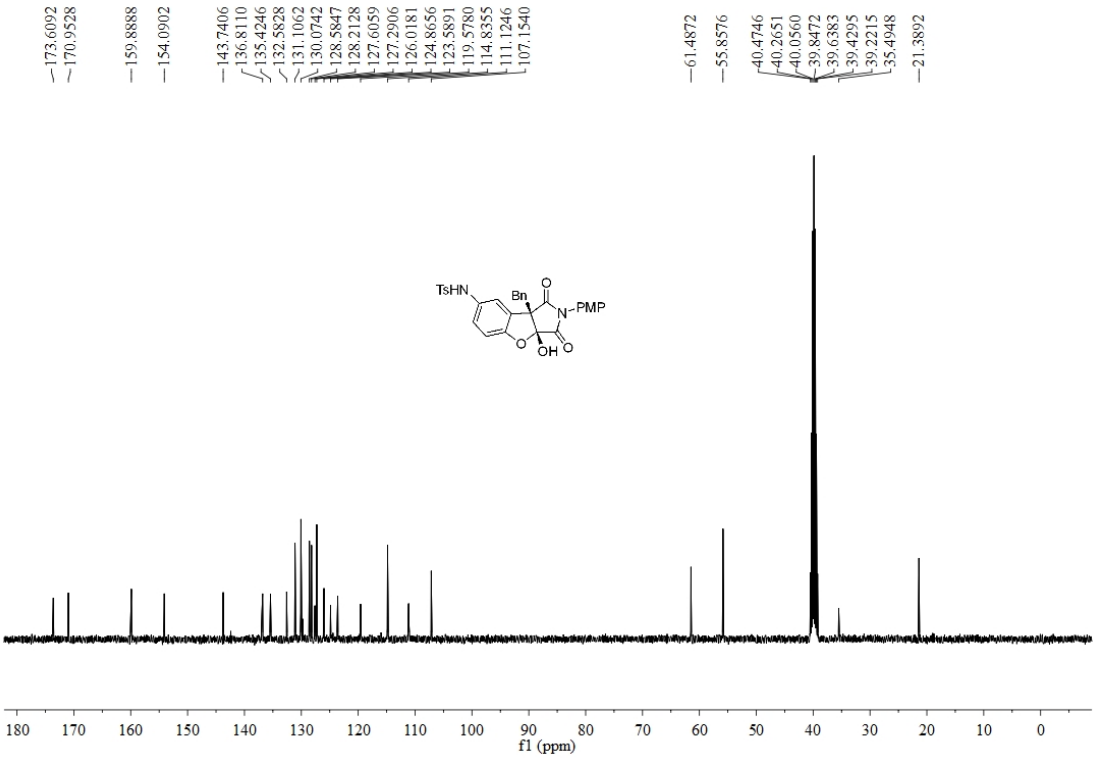
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-propyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ra):**



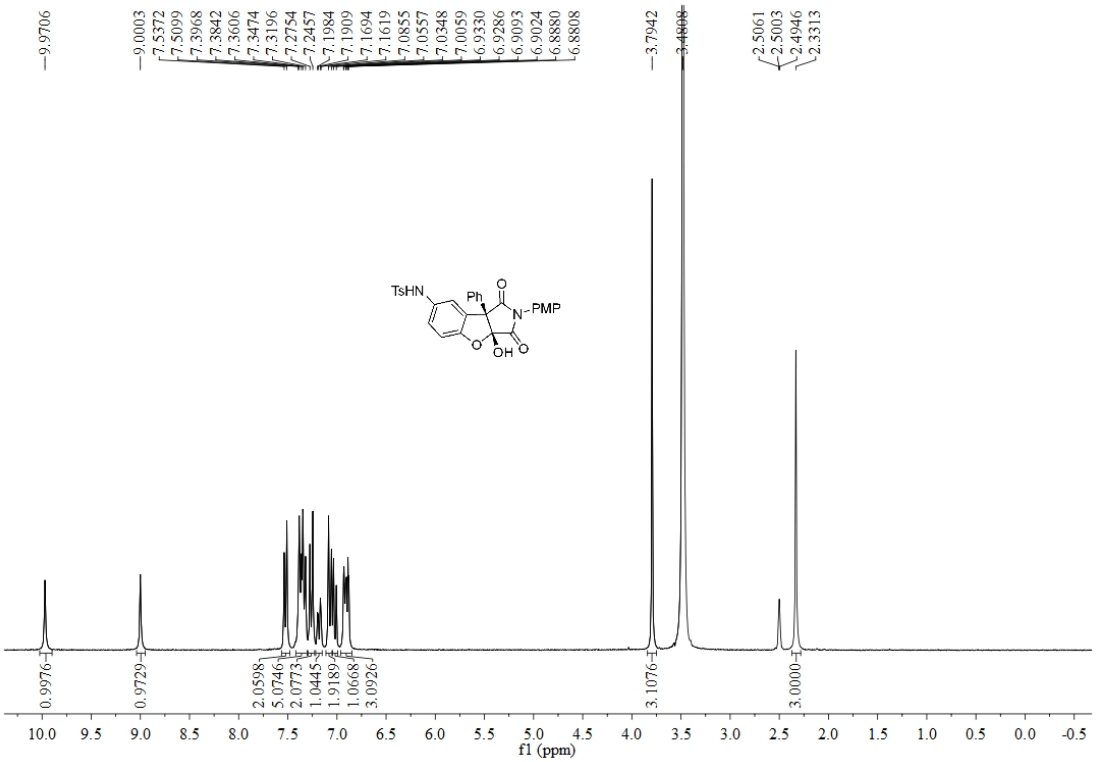


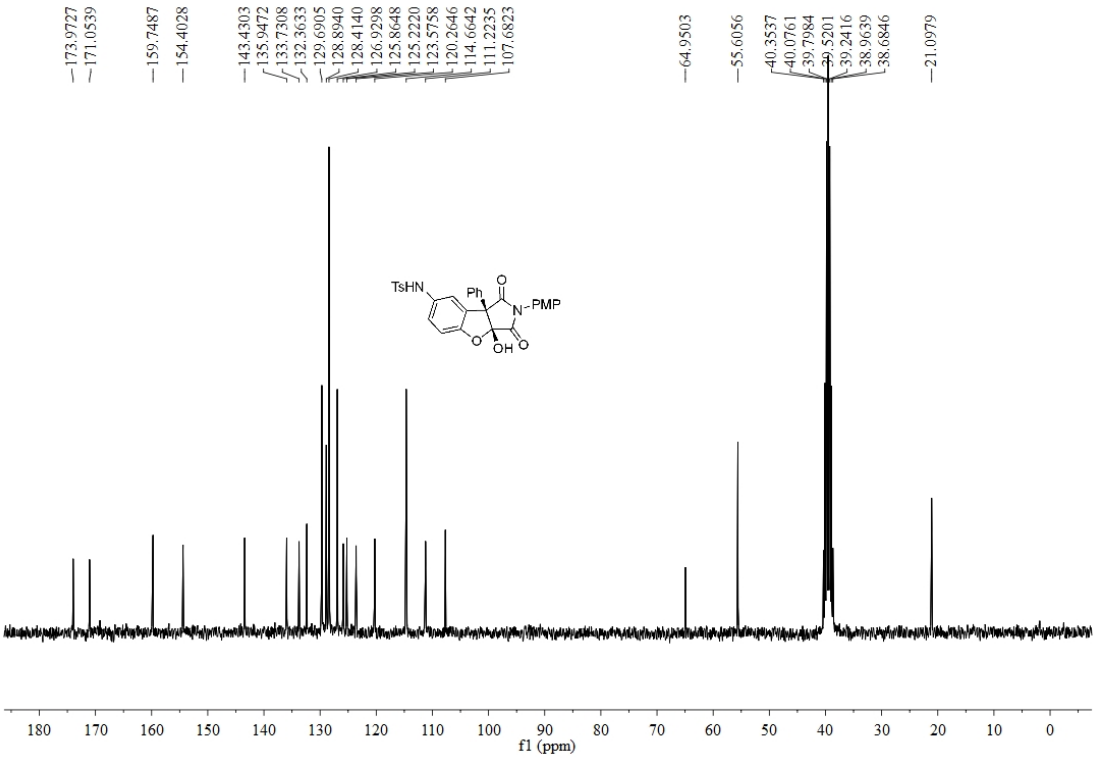
***N*-((3a*R*,8b*S*)-8b-benzyl-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3sa):**



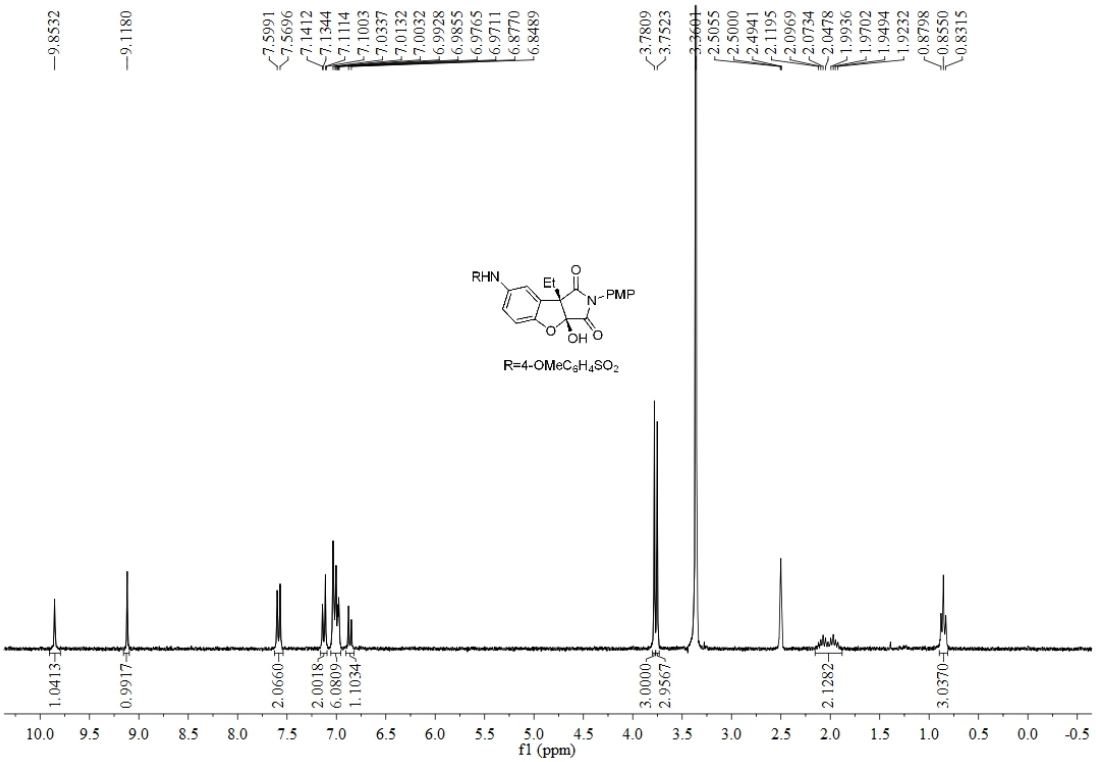


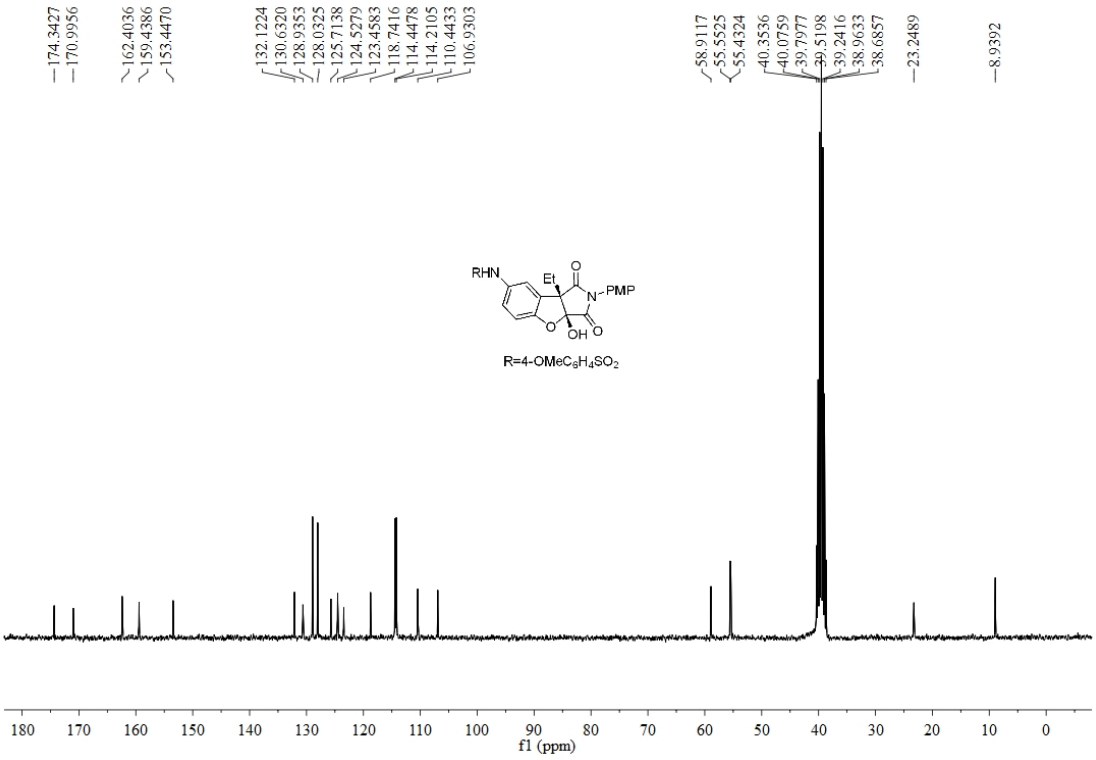
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ta):**



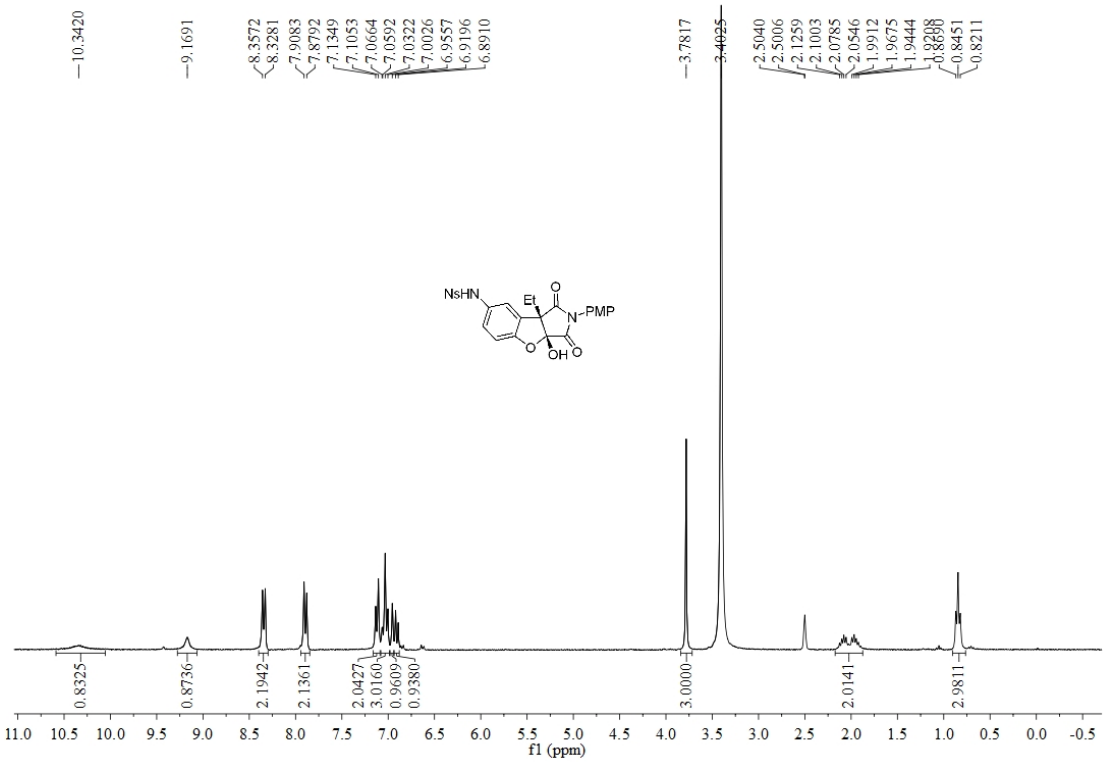


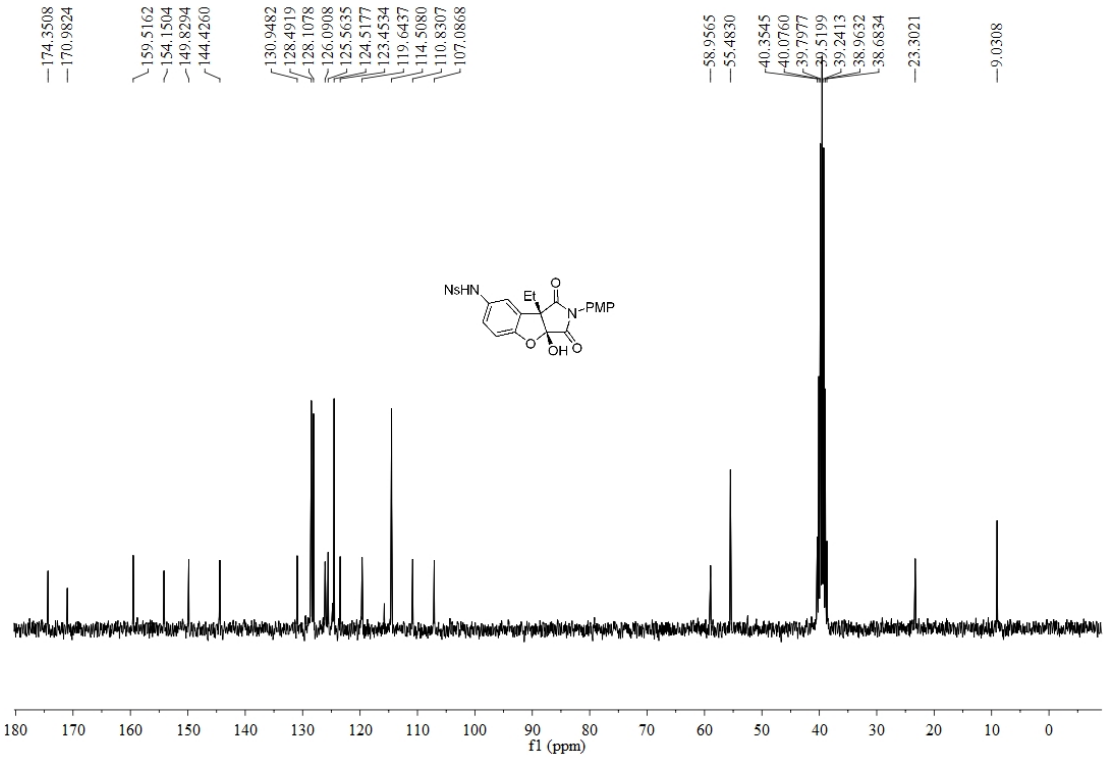
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methoxybenzenesulfonamide (3ab):**



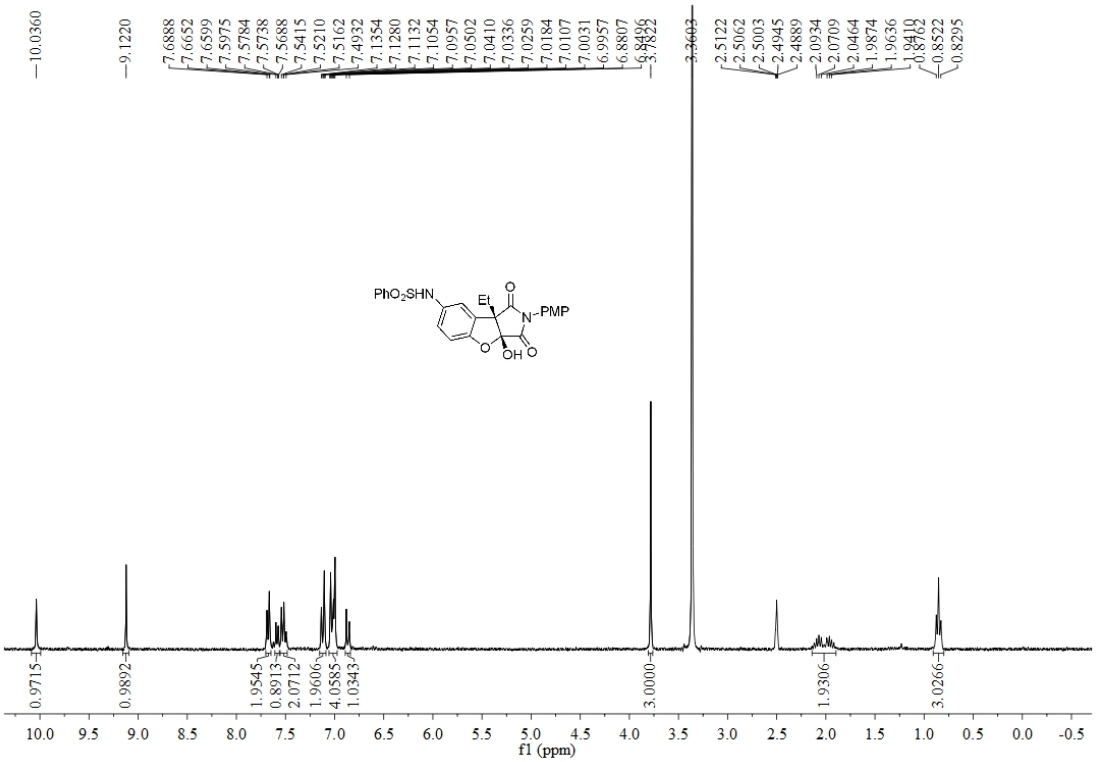


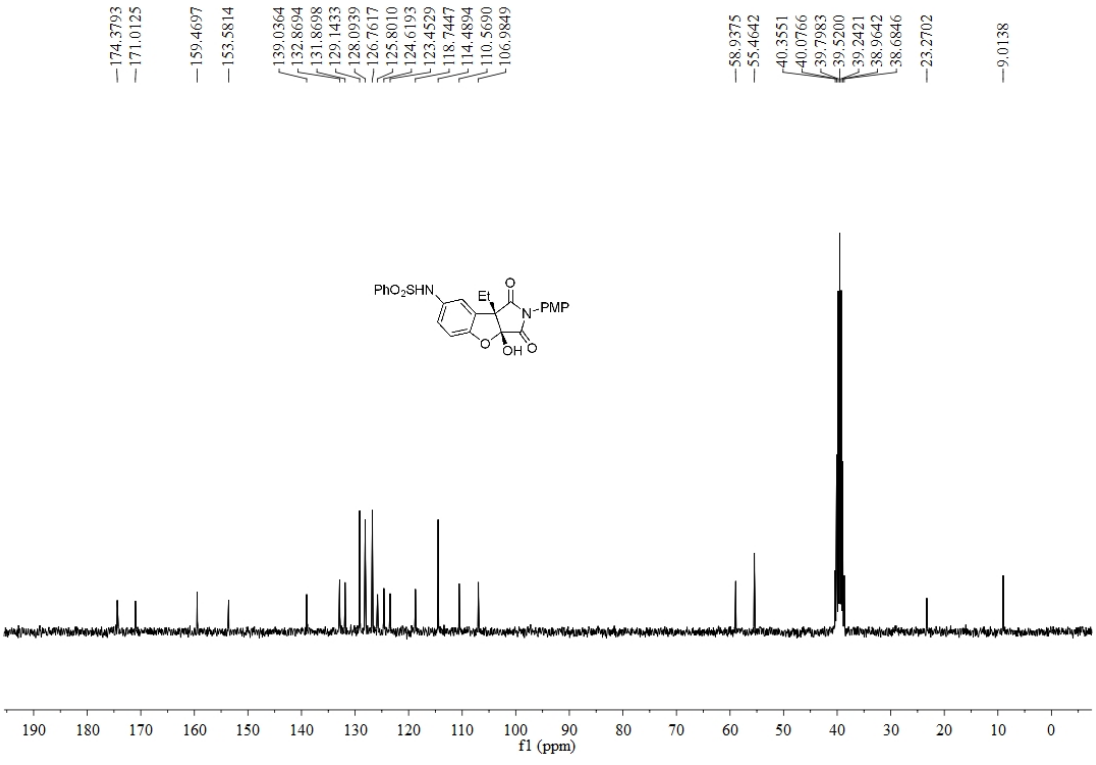
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-nitrobenzenesulfonamide (3ac):**



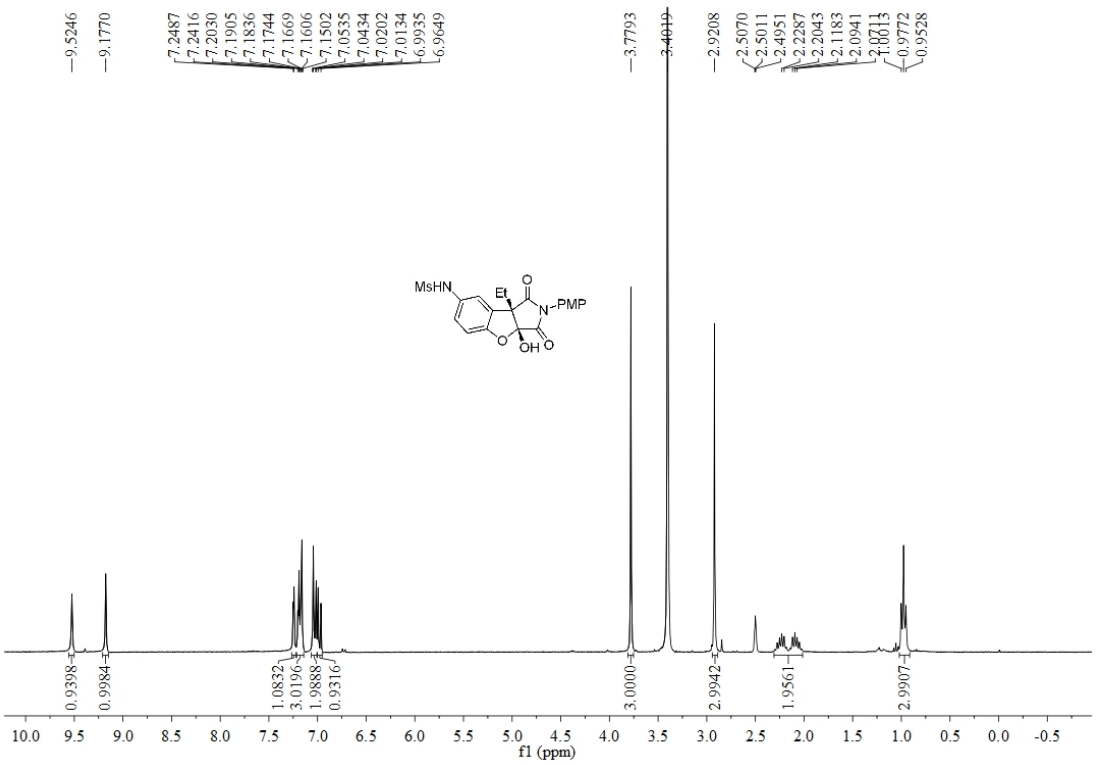


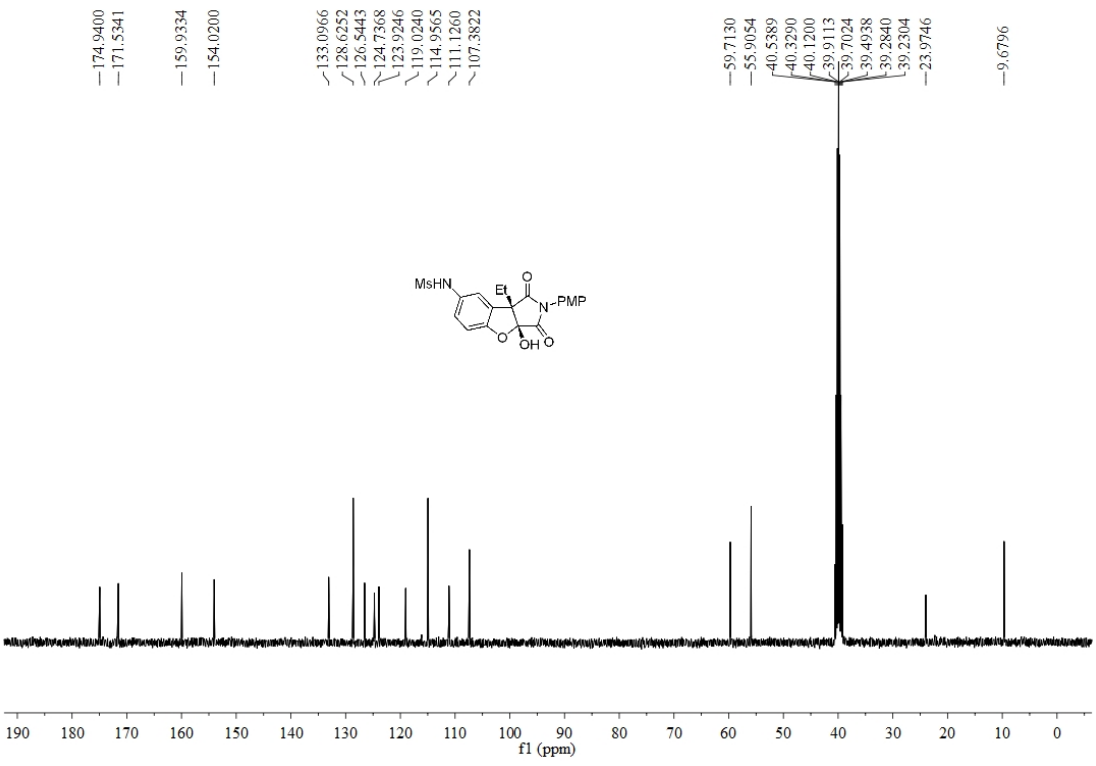
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)benzenesulfonamide (3ad):**



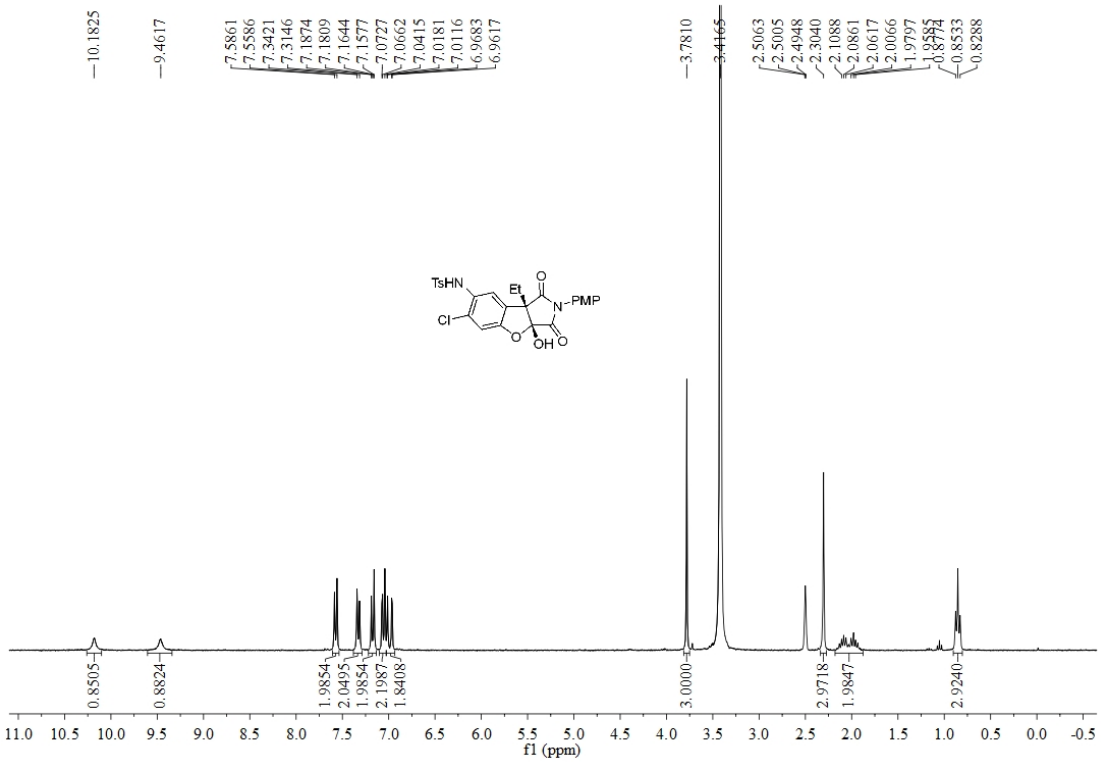


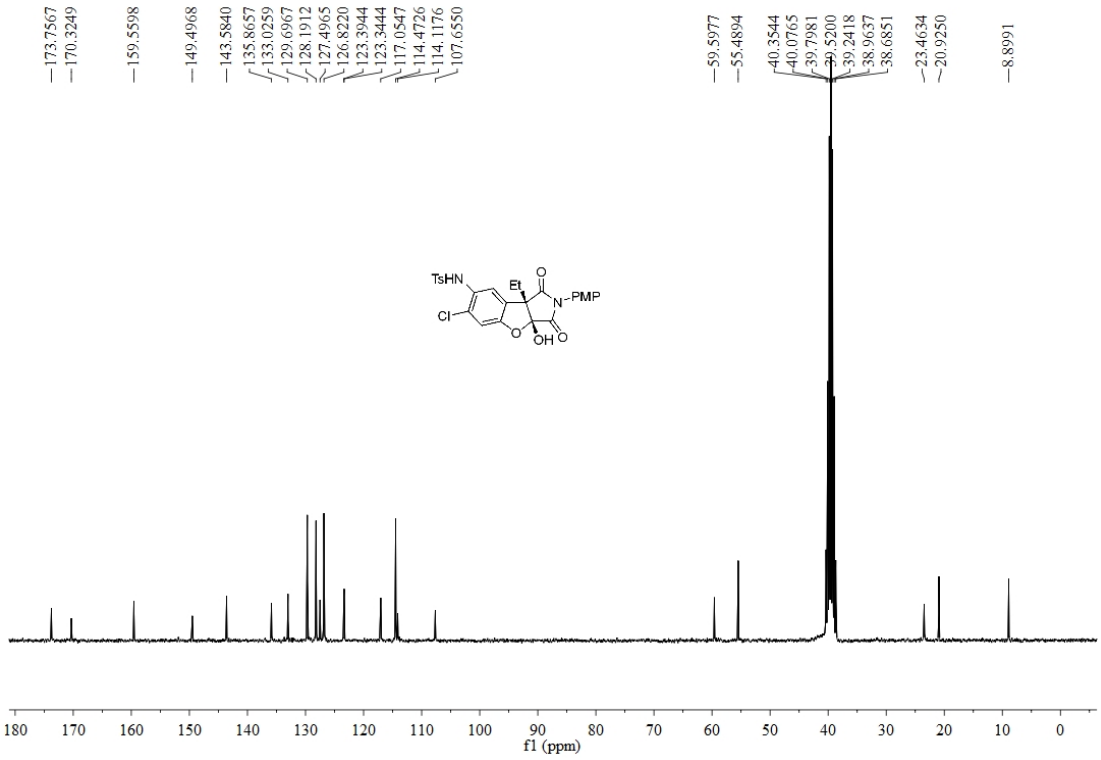
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)methanesulfonamide (3ae):**



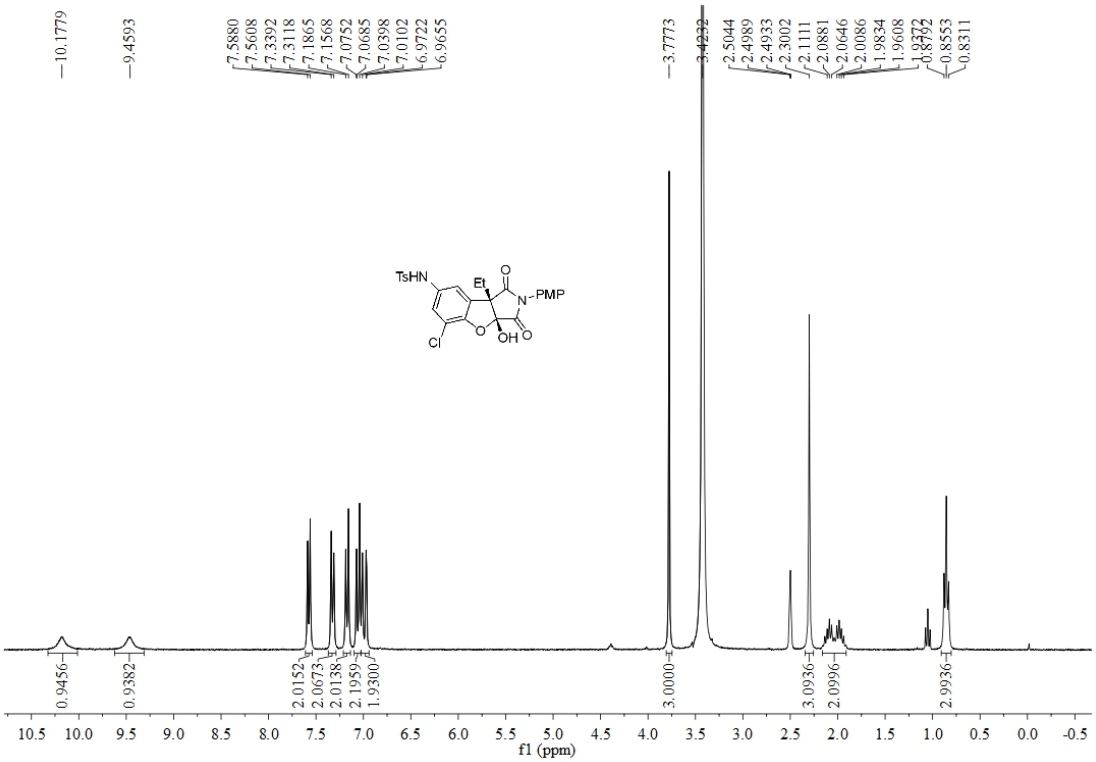


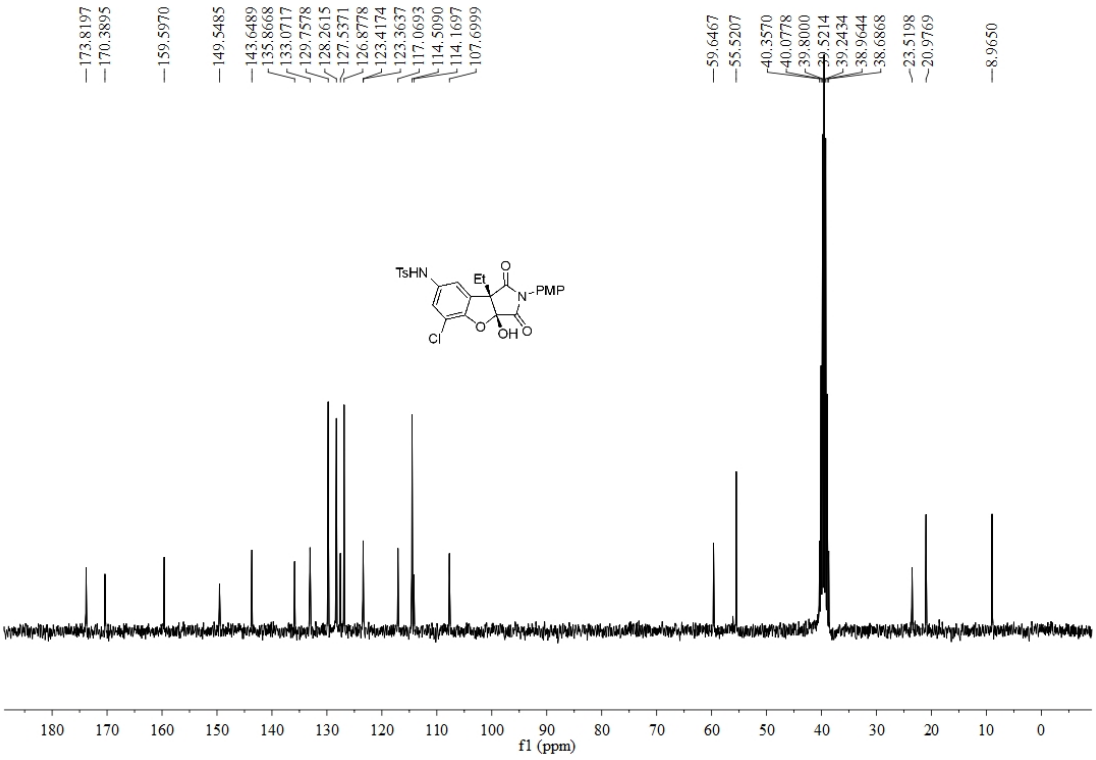
***N*-((3a*R*,8b*S*)-6-chloro-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3af):**



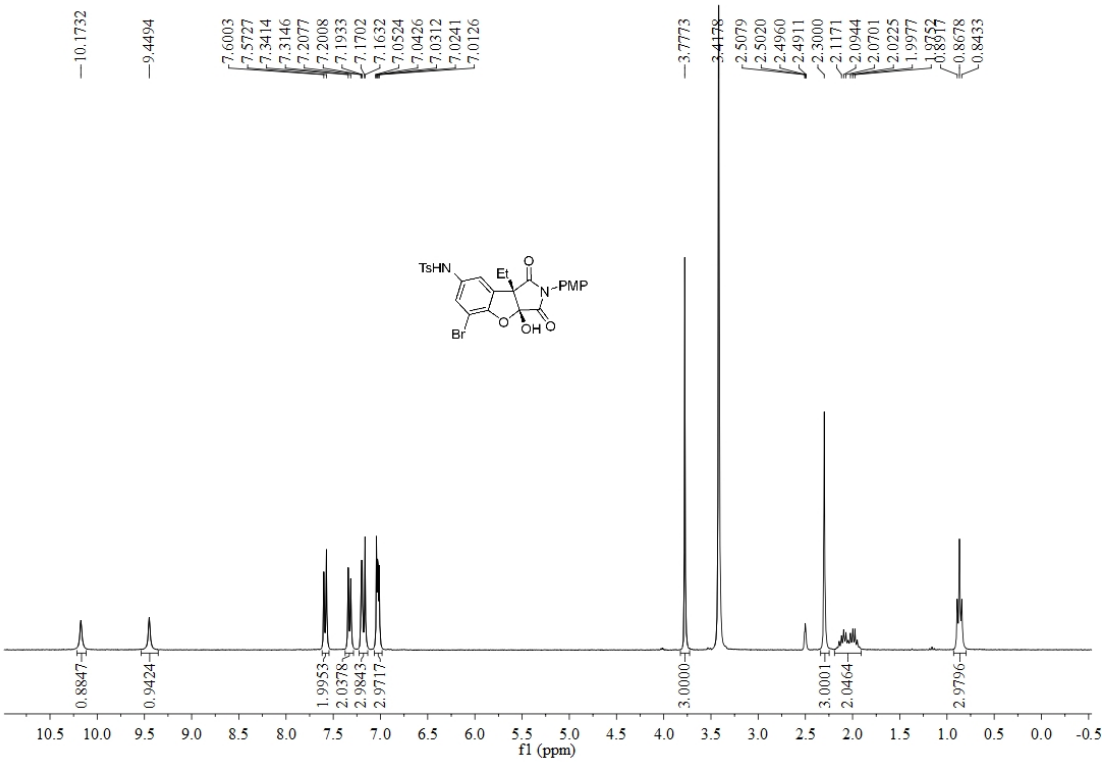


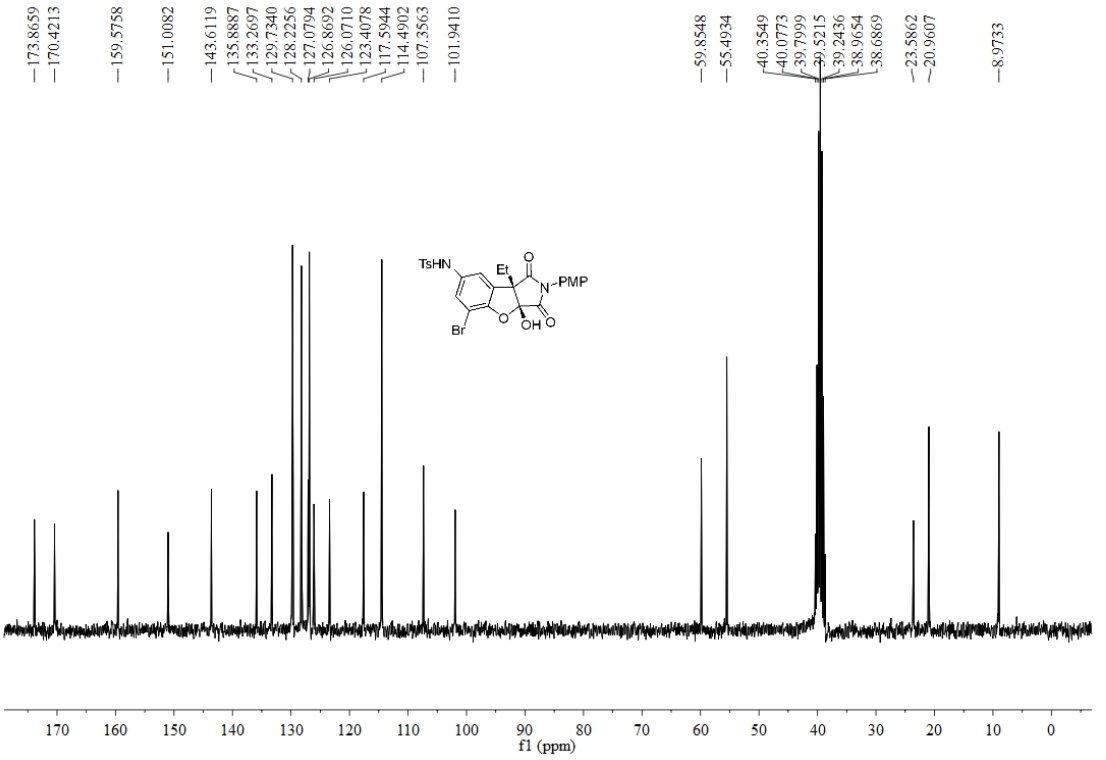
***N*-((3a*R*,8b*S*)-5-chloro-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ag):**



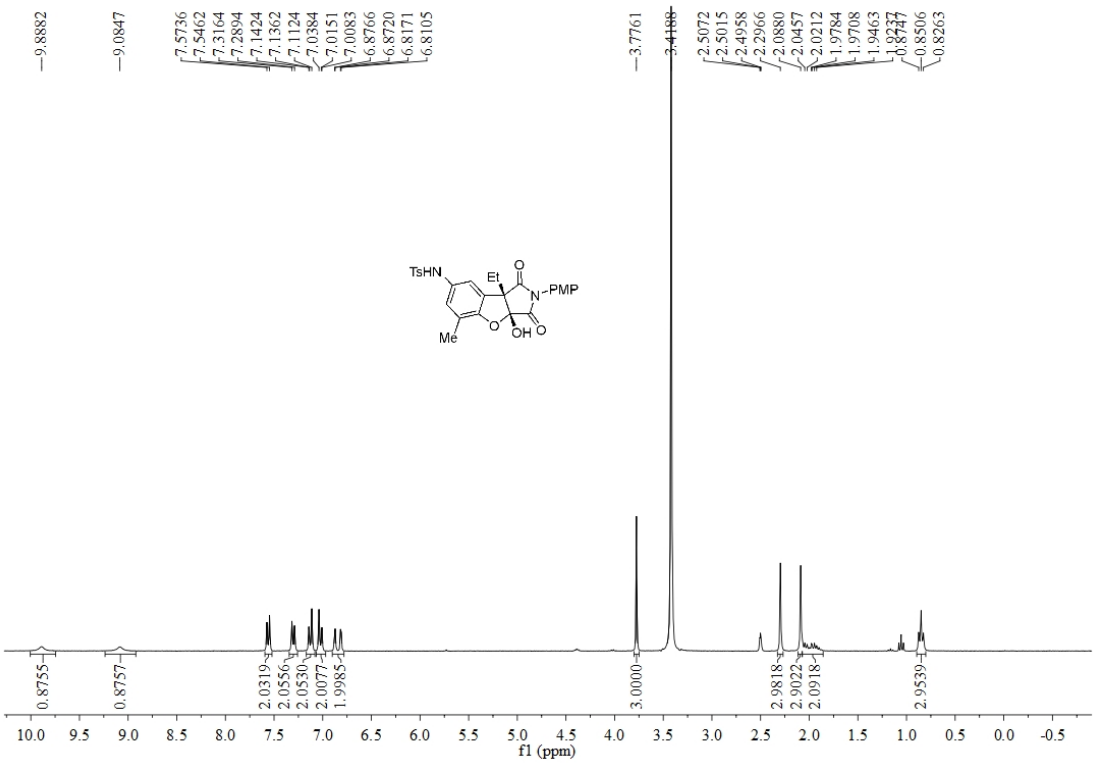


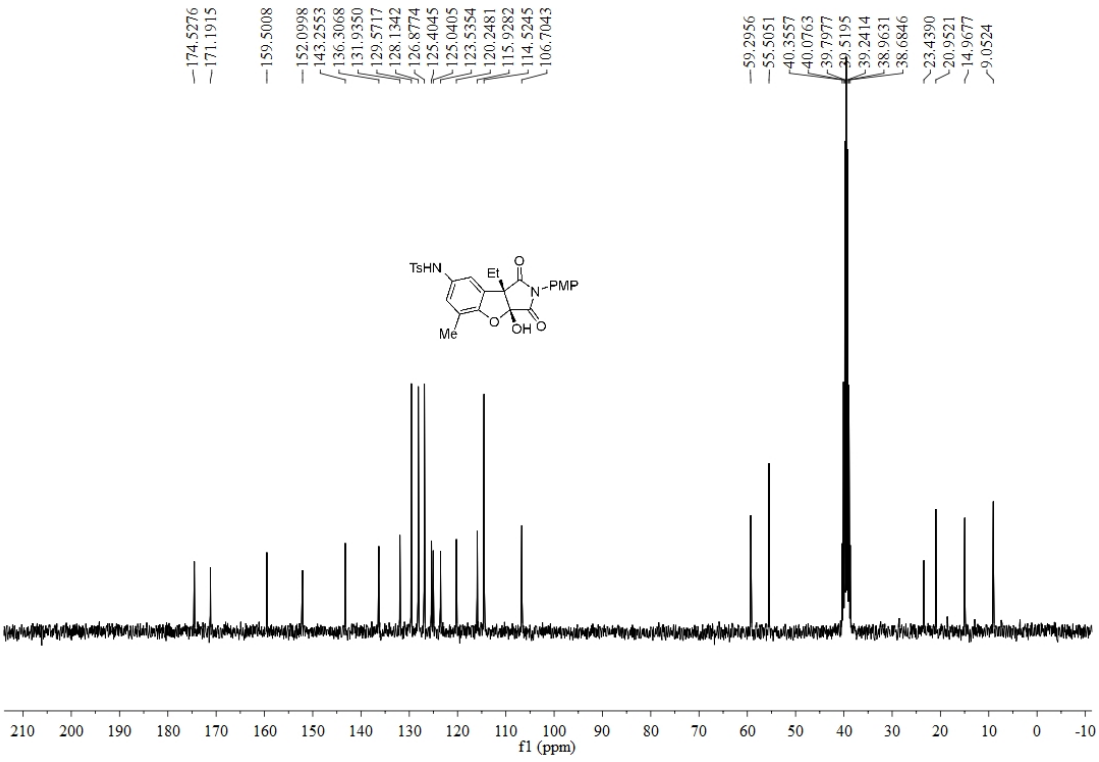
***N*-((3a*R*,8b*S*)-5-bromo-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ah):**



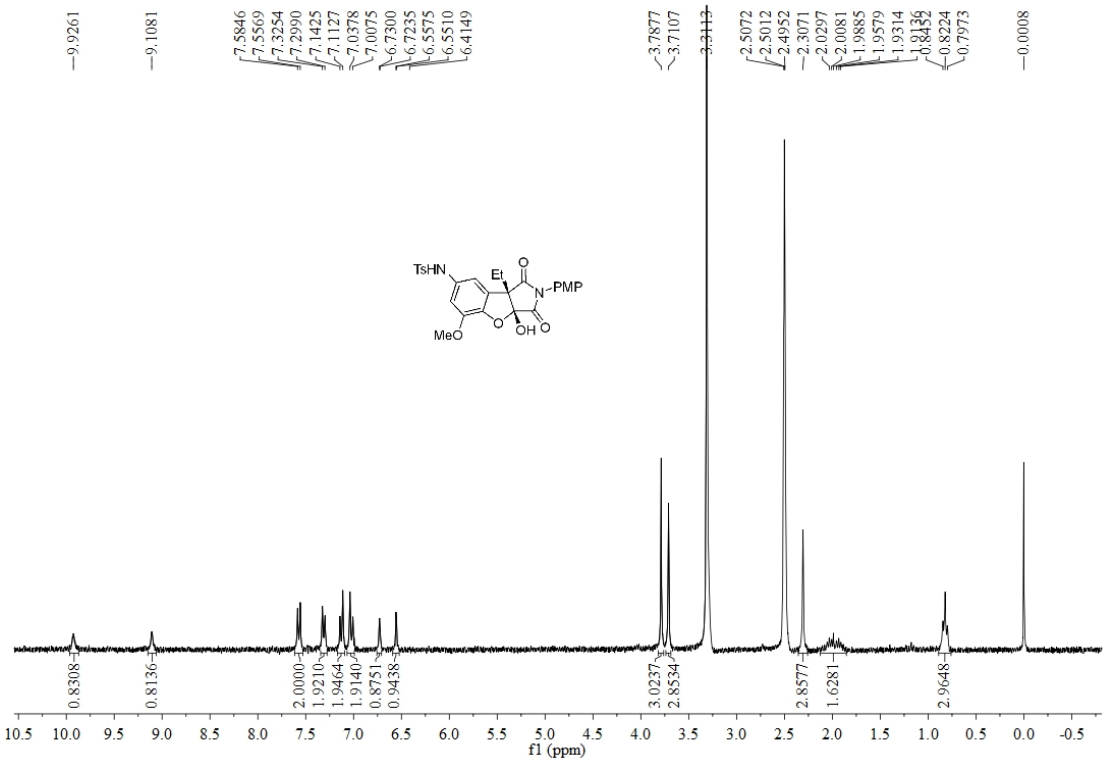


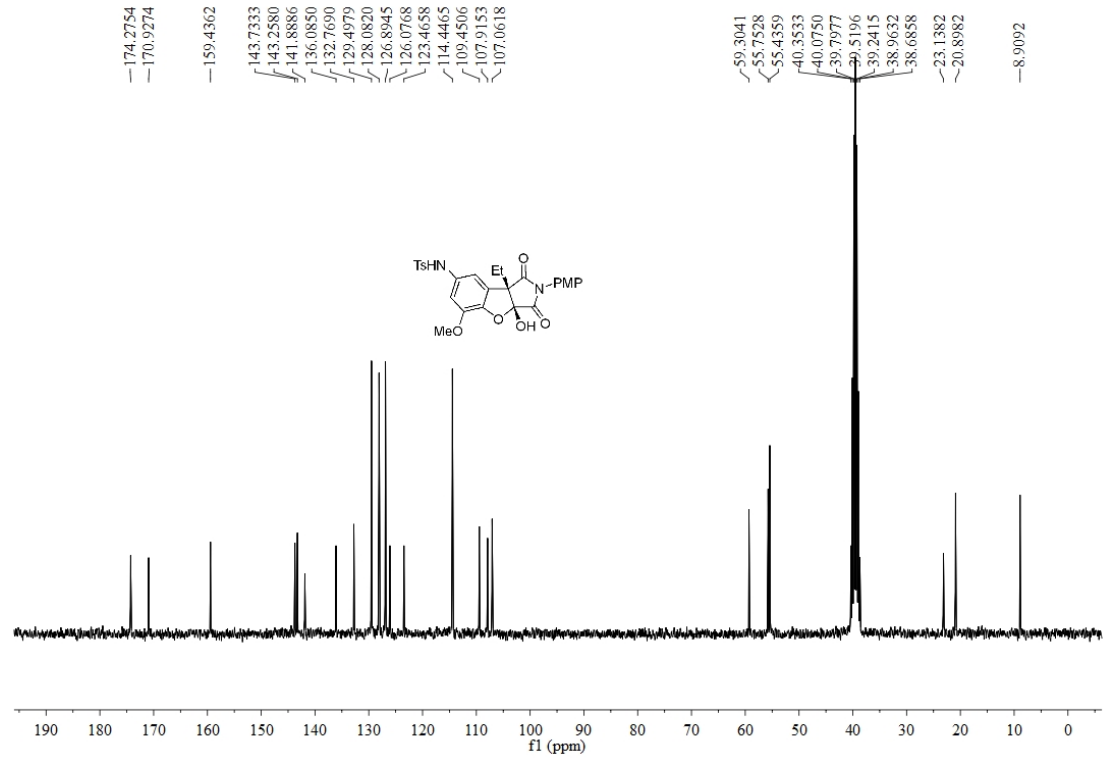
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-5-methyl-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ai):**





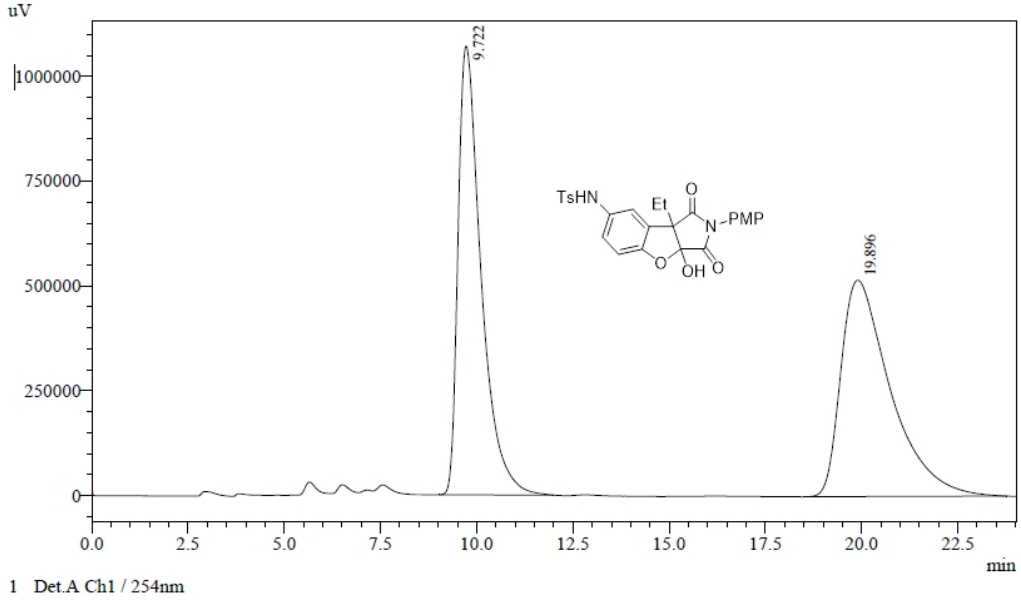
***N*-((3a*R*,8b*S*)-3a-hydroxy-5-methoxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a, 8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3aj):**





HPL chart of Products

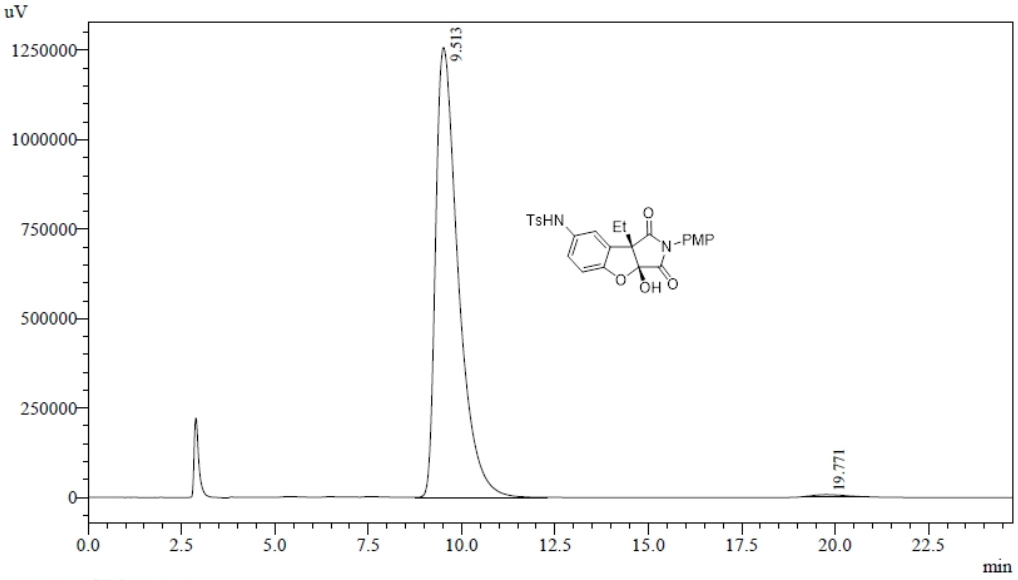
N-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3aa)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.722	44672063	1070386	49.137	67.474
2	19.896	46240701	515990	50.863	32.526
Total		90912764	1586377	100.000	100.000

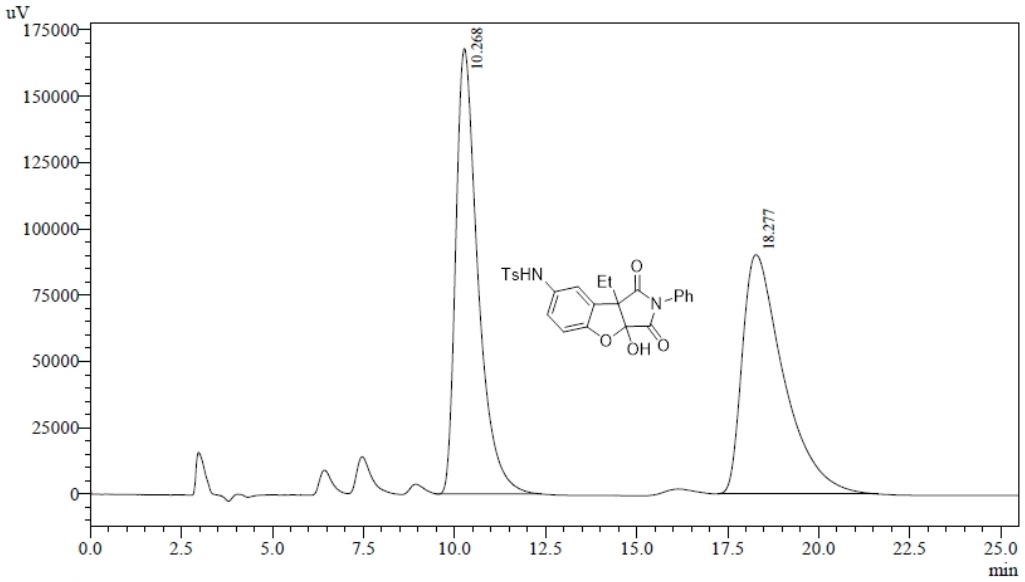


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.513	52987068	1257972	99.414	99.552
2	19.771	312486	5655	0.586	0.448
Total		53299554	1263627	100.000	100.000

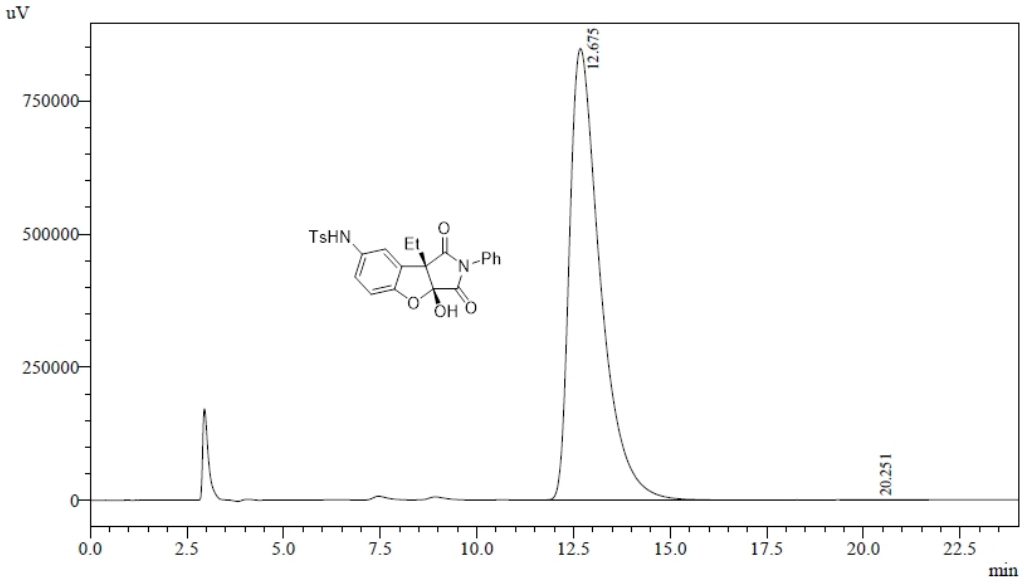
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ba):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.268	7119107	167928	50.051	65.043
2	18.277	7104531	90251	49.949	34.957
Total		14223638	258179	100.000	100.000

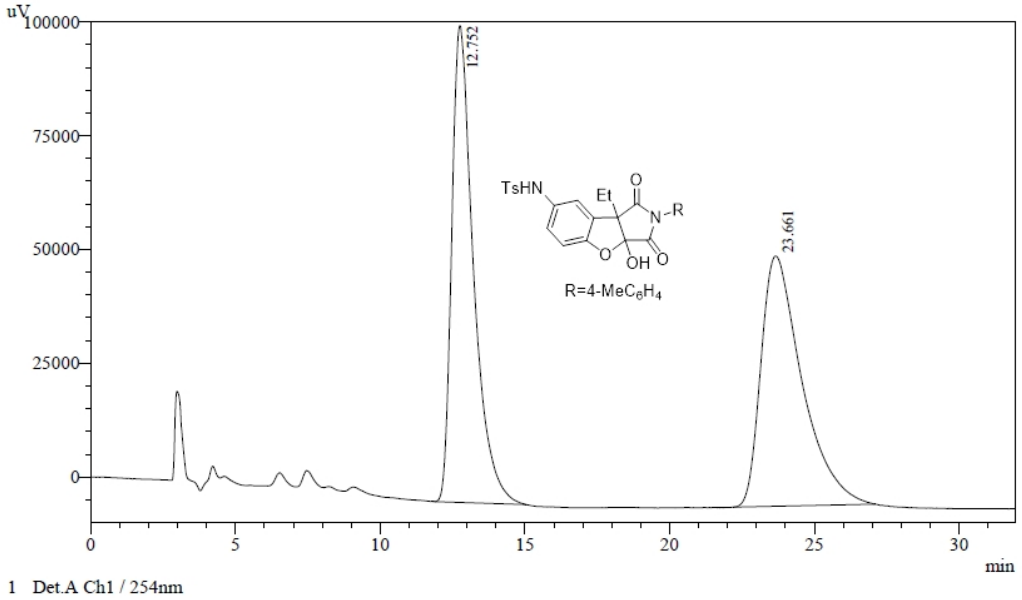


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.675	46770083	847854	99.984	99.984
2	20.251	7313	138	0.016	0.016
Total		46777396	847992	100.000	100.000

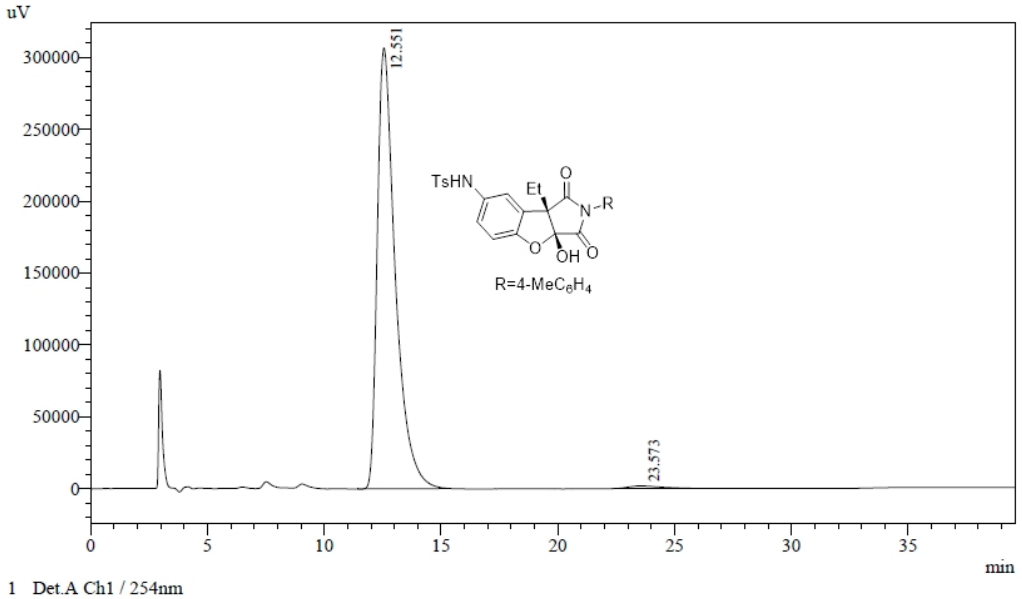
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(p-tolyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ca):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.752	5472626	104740	50.287	65.586
2	23.661	5410201	54958	49.713	34.414
Total		10882826	159698	100.000	100.000

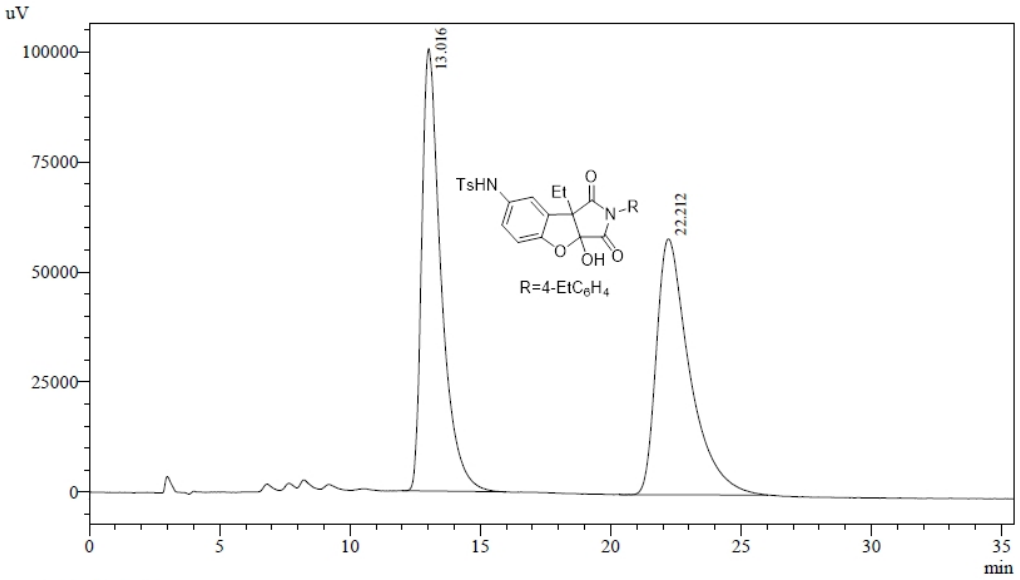


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.551	16474888	306753	99.020	99.425
2	23.573	163115	1774	0.980	0.575
Total		16638004	308528	100.000	100.000

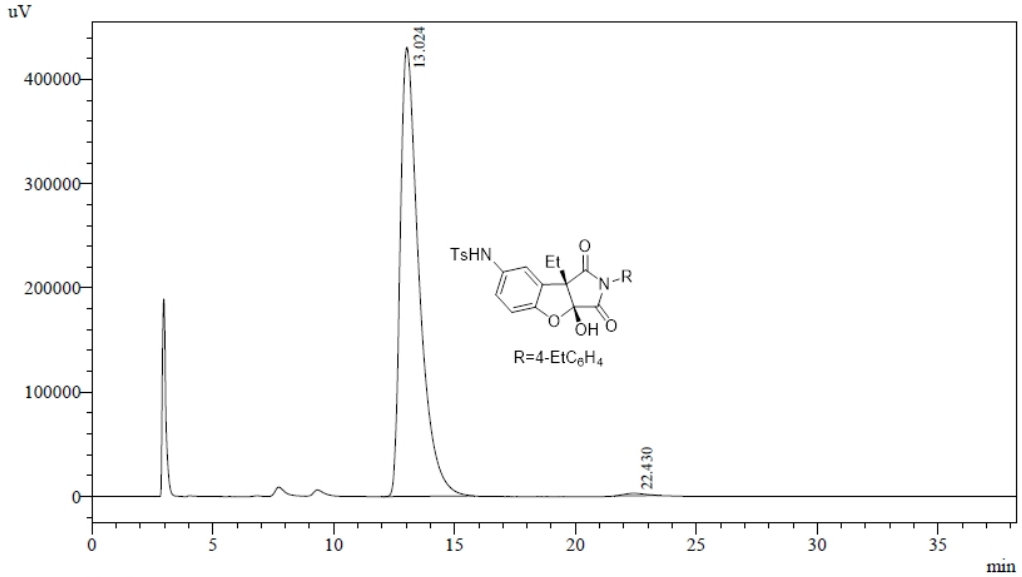
***N*-((3a*R*,8b*S*)-8b-ethyl-2-(4-ethylphenyl)-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3da):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.016	5205267	100479	50.225	63.350
2	22.212	5158710	58131	49.775	36.650
Total		10363977	158610	100.000	100.000

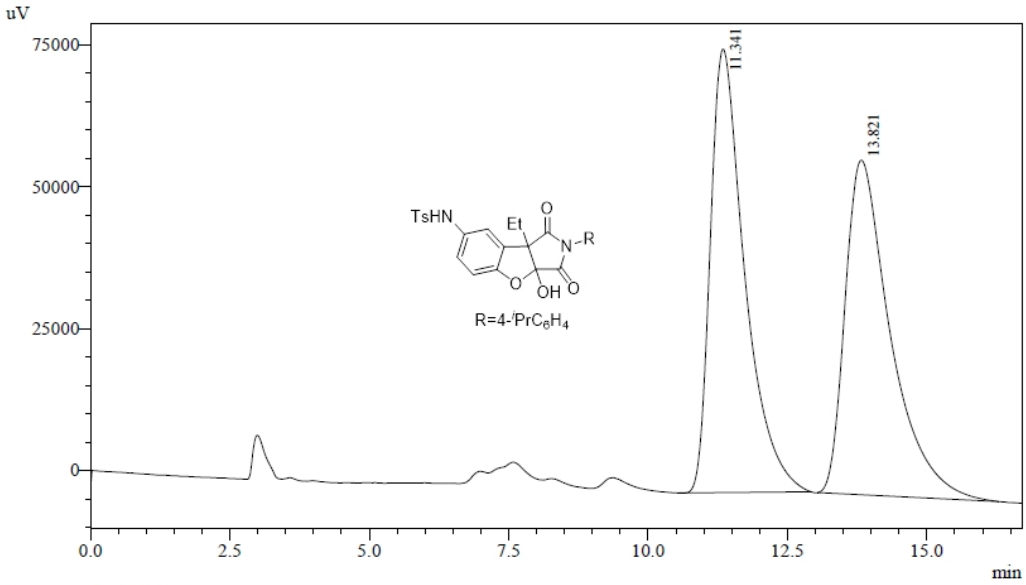


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.024	23325723	430771	99.403	99.480
2	22.430	140177	2251	0.597	0.520
Total		23465900	433022	100.000	100.000

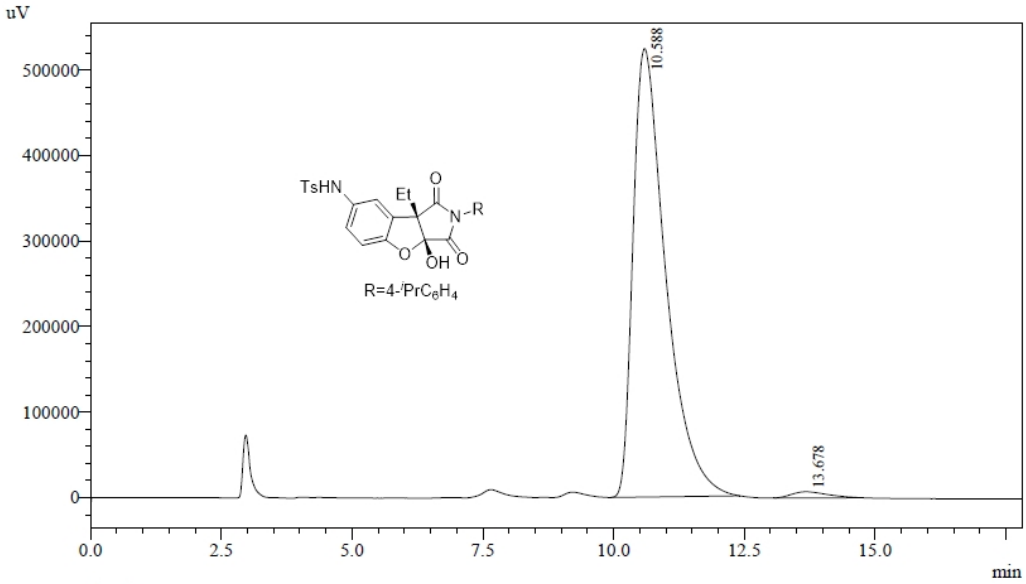
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(4-isopropylphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ea):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.341	3358676	78171	50.234	56.998
2	13.821	3327377	58976	49.766	43.002
Total		6686053	137147	100.000	100.000

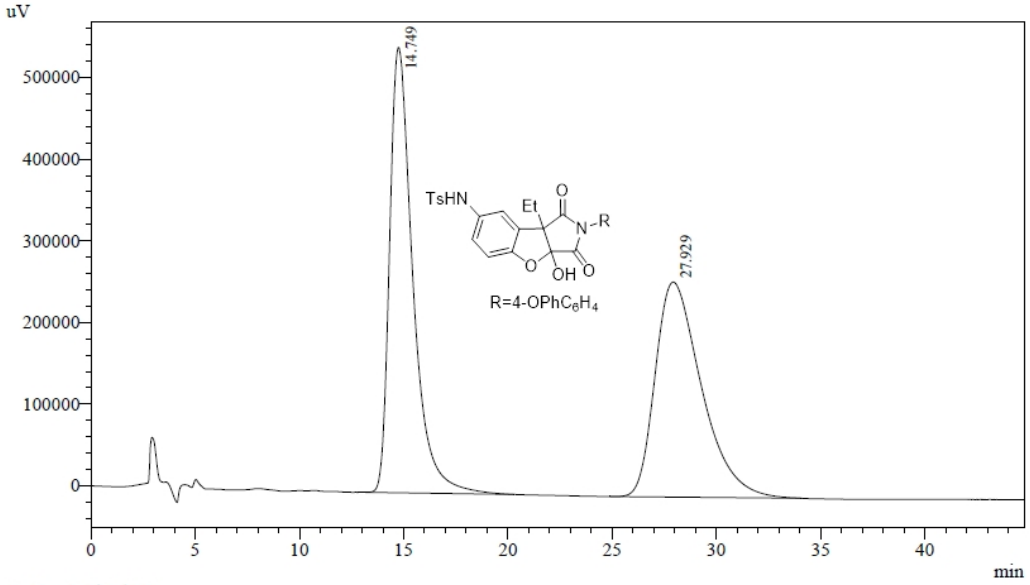


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.588	22821991	524484	98.543	98.655
2	13.678	337386	7150	1.457	1.345
Total		23159377	531634	100.000	100.000

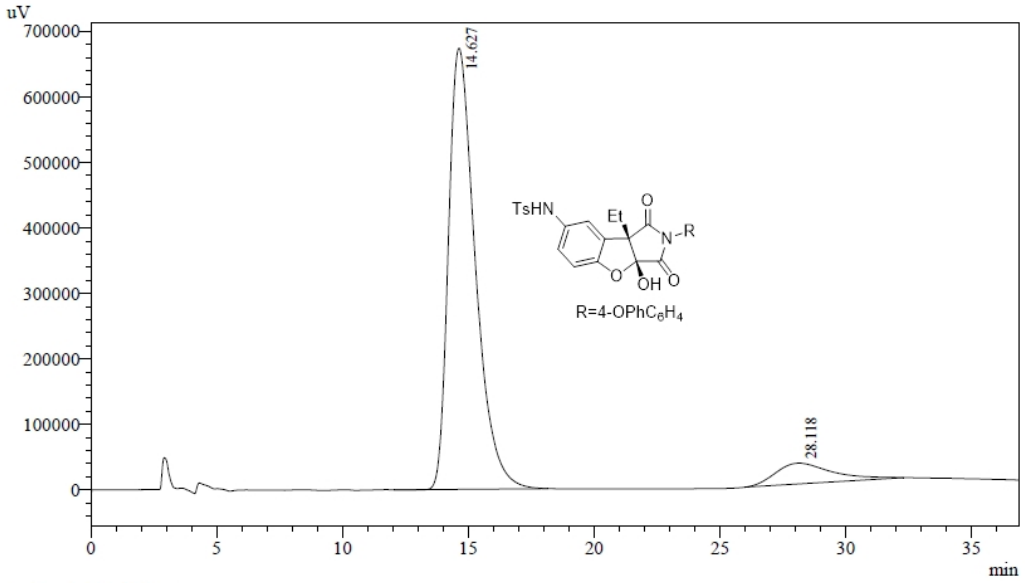
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(4-phenoxyphenyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3fa):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.749	42287369	545562	50.985	67.445
2	27.929	40653524	263339	49.015	32.555
Total		82940892	808901	100.000	100.000

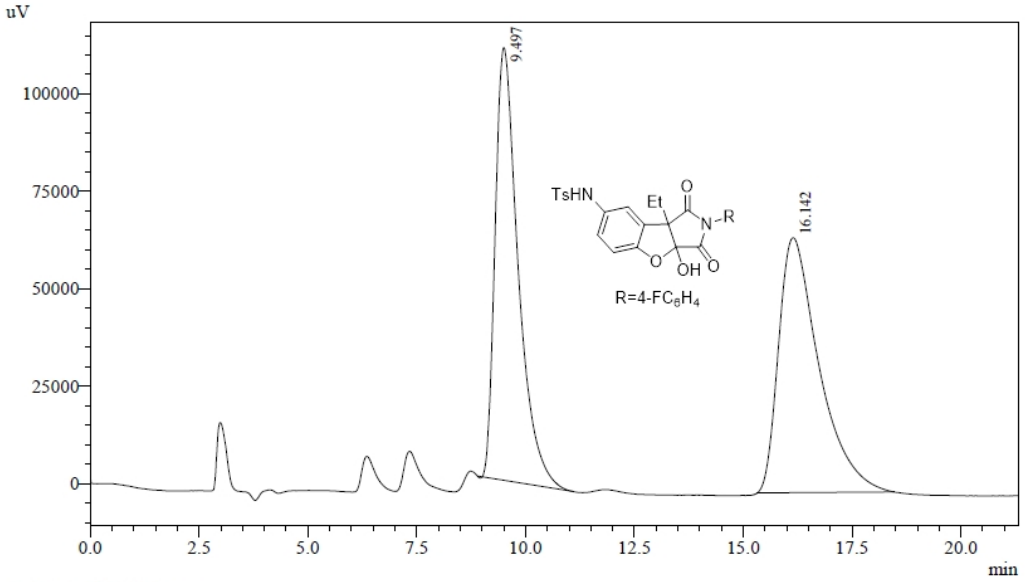


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.627	50093282	674161	91.006	95.500
2	28.118	4950775	31766	8.994	4.500
Total		55044057	705927	100.000	100.000

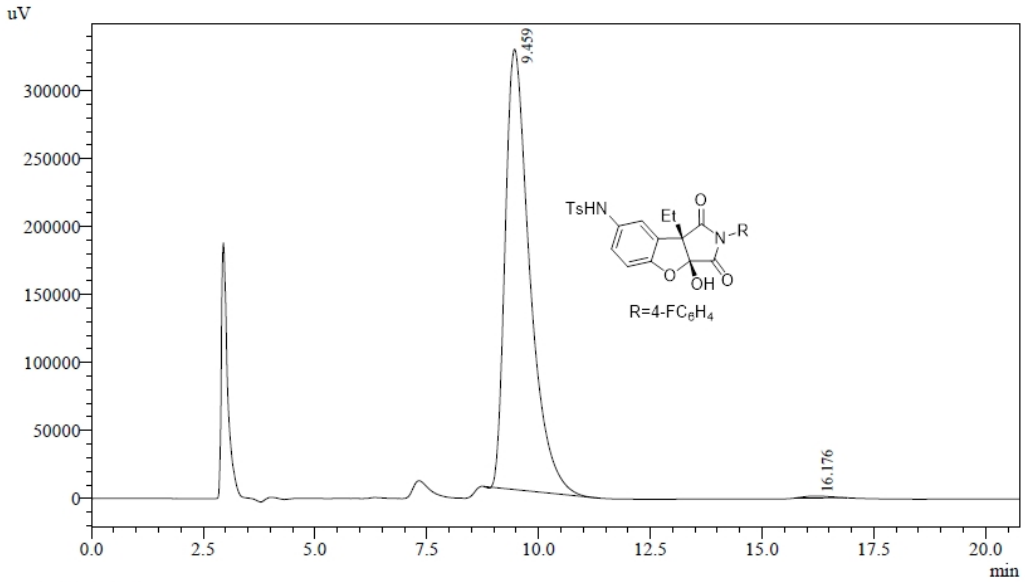
***N*-((3a*R*,8b*S*)-8b-ethyl-2-(4-fluorophenyl)-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ga):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.497	4082998	111045	49.149	62.929
2	16.142	4224327	65415	50.851	37.071
Total		8307326	176460	100.000	100.000

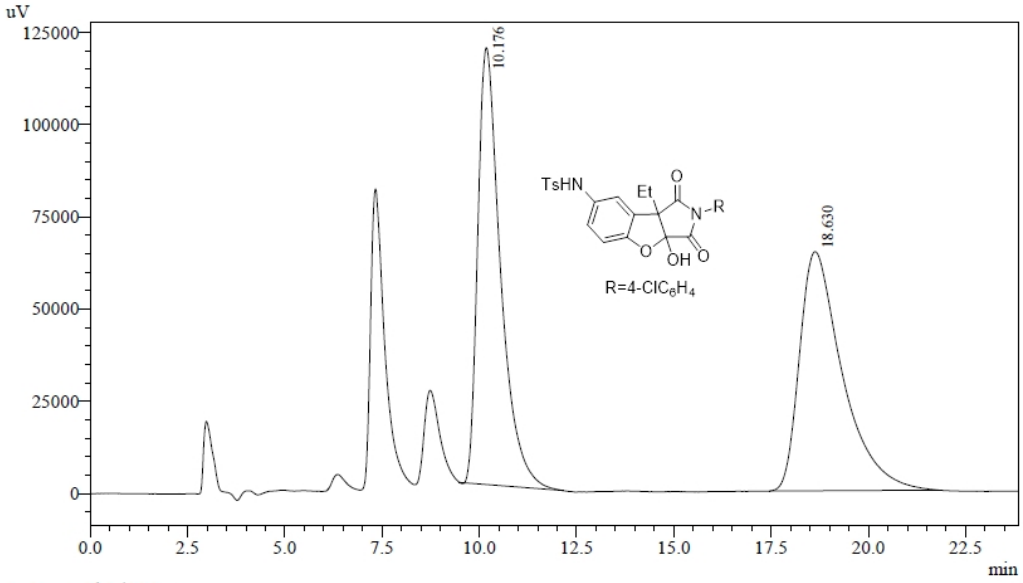


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.459	12388197	323914	99.556	99.568
2	16.176	55287	1406	0.444	0.432
Total		12443485	325321	100.000	100.000

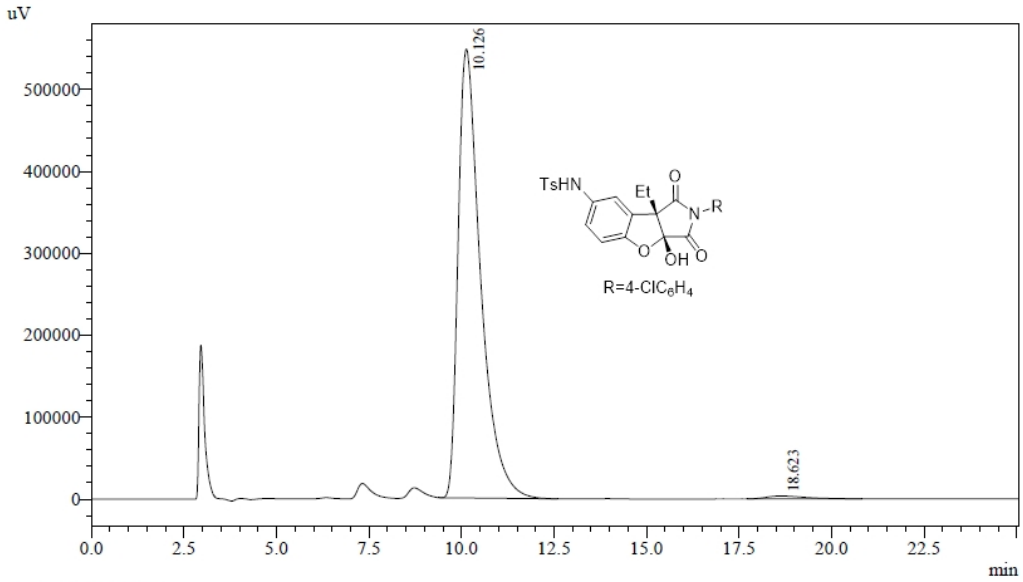
***N*-((3a*R*,8b*S*)-2-(4-chlorophenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ha):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.176	4835088	118463	49.296	64.630
2	18.630	4973252	64831	50.704	35.370
Total		9808341	183294	100.000	100.000

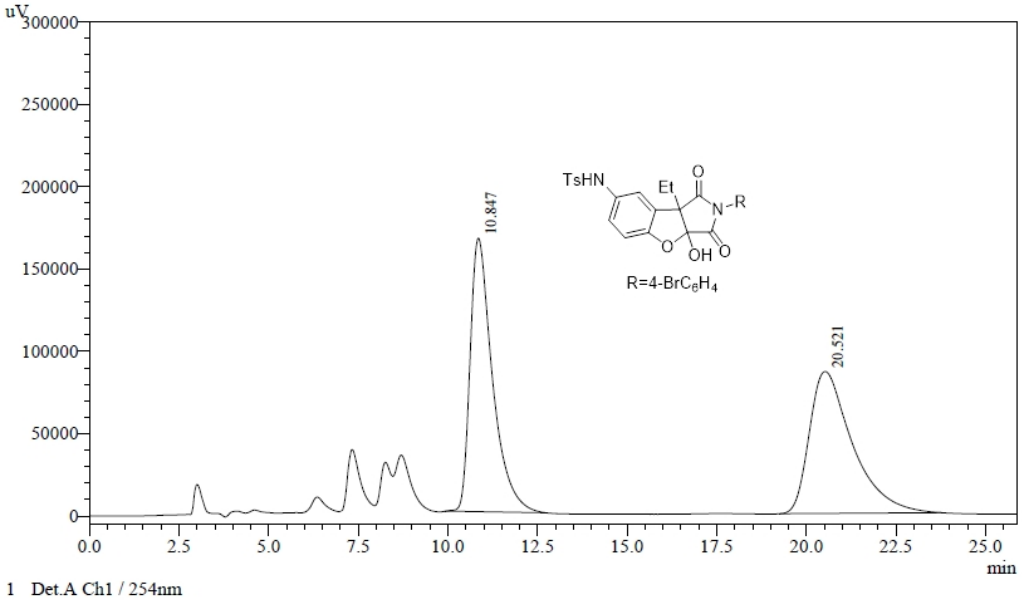


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.126	23195153	547711	98.922	99.347
2	18.623	252803	3602	1.078	0.653
Total		23447956	551314	100.000	100.000

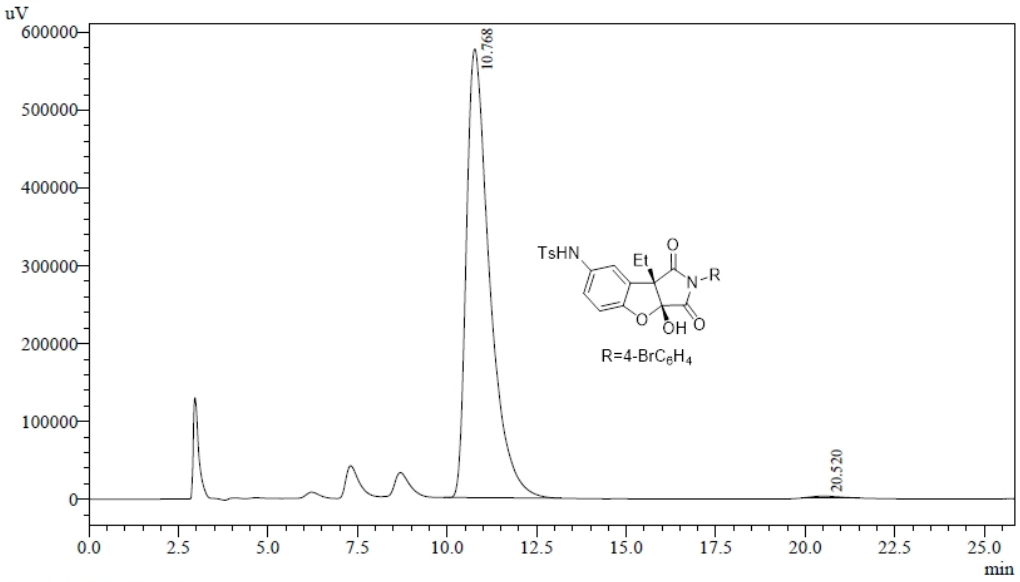
***N*-((3a*R*,8b*S*)-2-(4-bromophenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ia):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.847	7211399	166088	50.015	65.822
2	20.521	7207096	86240	49.985	34.178
Total		14418495	252328	100.000	100.000

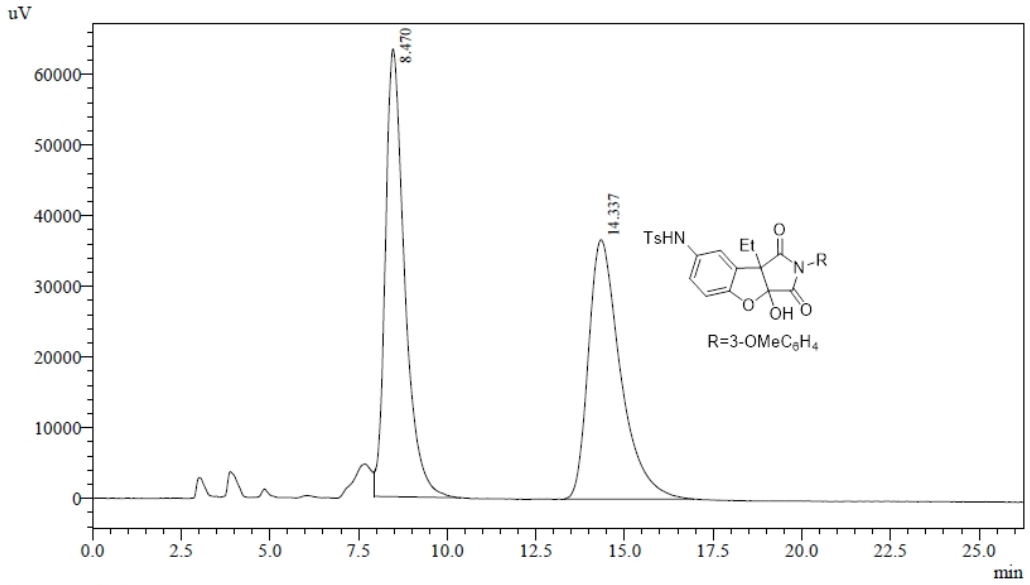


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.768	26004929	576420	99.496	99.581
2	20.520	131608	2423	0.504	0.419
Total		26136537	578843	100.000	100.000

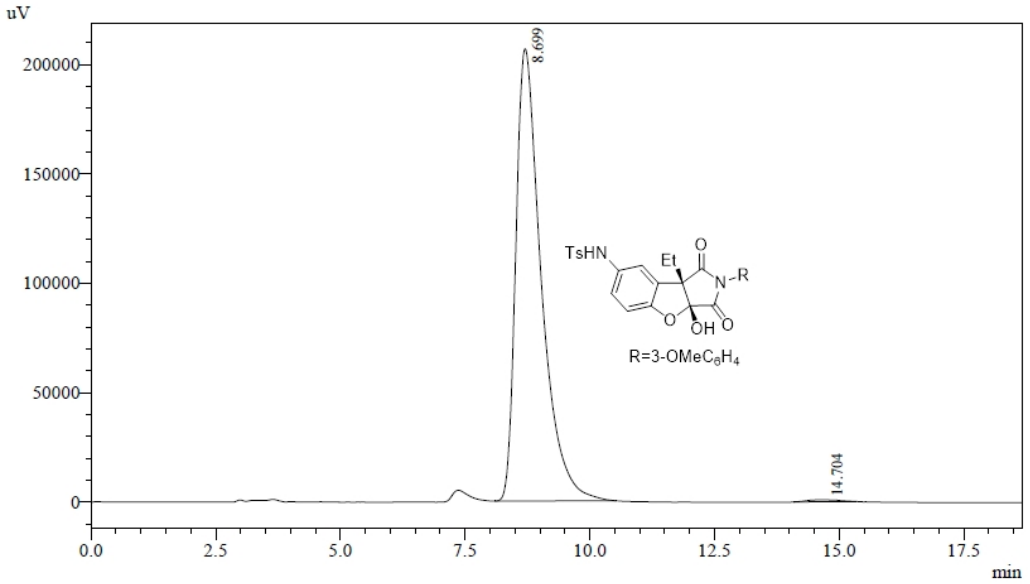
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(3-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ja):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.470	2394469	63339	50.966	63.309
2	14.337	2303745	36708	49.034	36.691
Total		4698214	100047	100.000	100.000

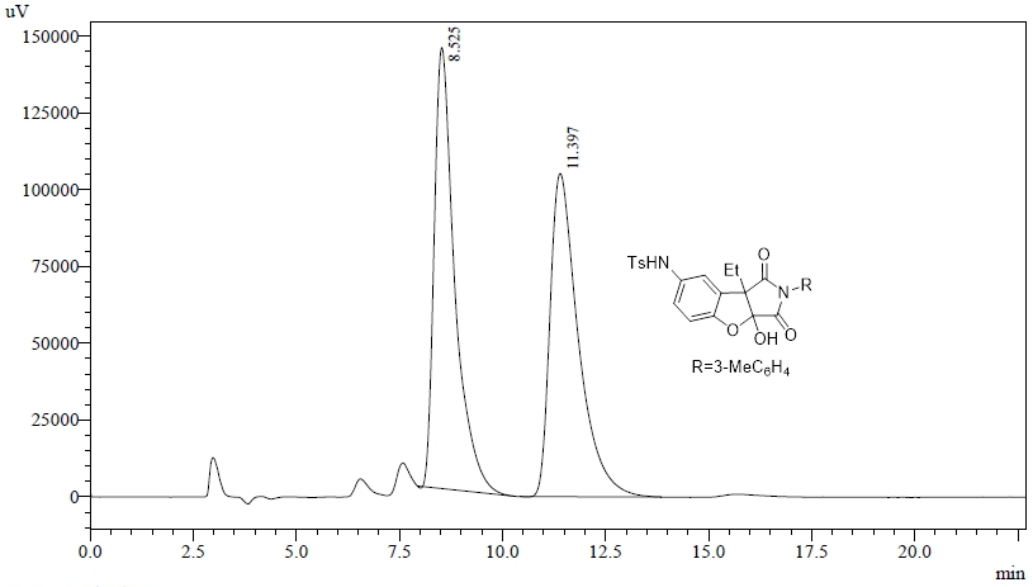


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.699	7333965	206833	99.466	99.549
2	14.704	39389	938	0.534	0.451
Total		7373354	207771	100.000	100.000

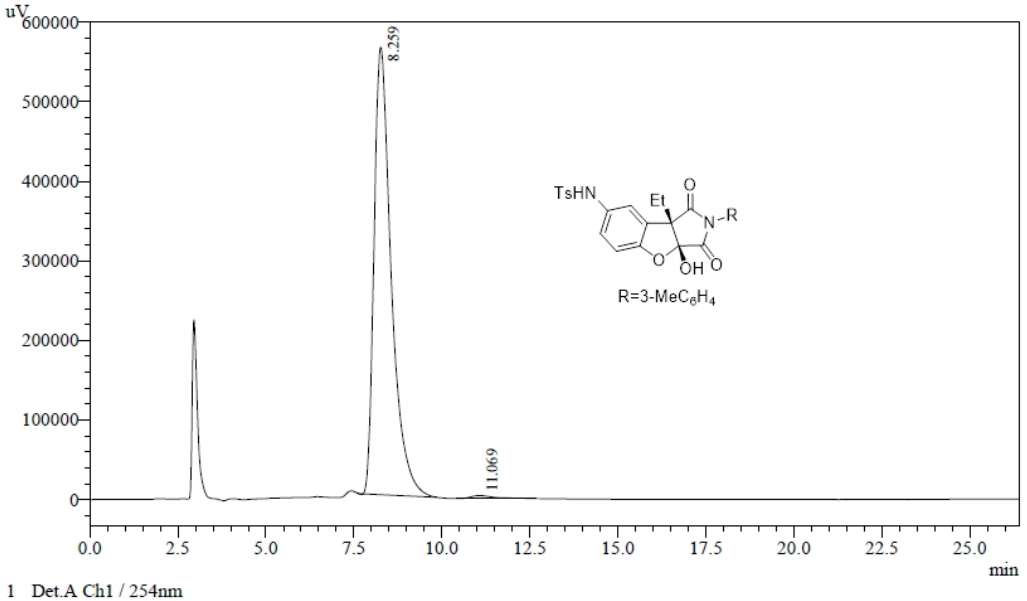
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2-(m-tolyl)-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ka):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.525	4996195	143622	49.829	57.710
2	11.397	5030484	105248	50.171	42.290
Total		10026679	248870	100.000	100.000

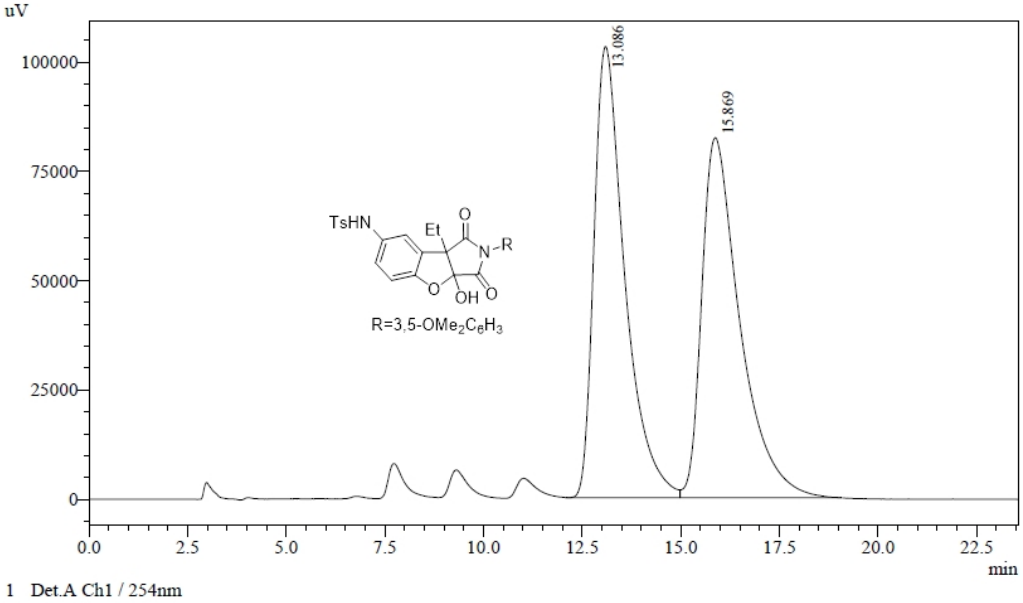


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.259	19104328	562269	99.050	99.311
2	11.069	183223	3902	0.950	0.689
Total		19287550	566171	100.000	100.000

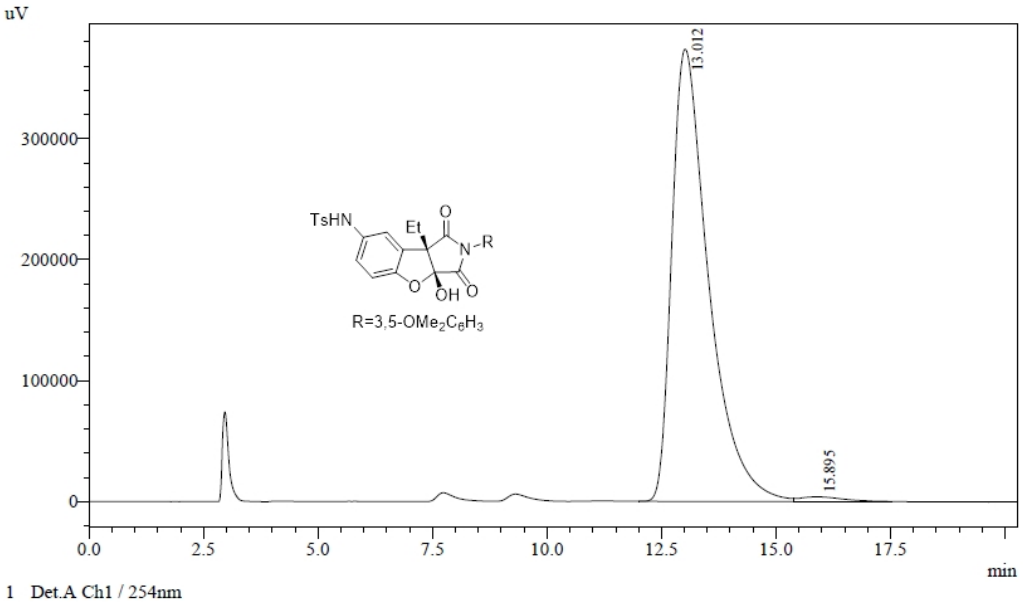
***N*-((3a*R*,8b*S*)-2-(3,5-dimethoxyphenyl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3la):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.086	5607679	103255	50.289	55.619
2	15.869	5543119	82393	49.711	44.381
Total		11150798	185648	100.000	100.000

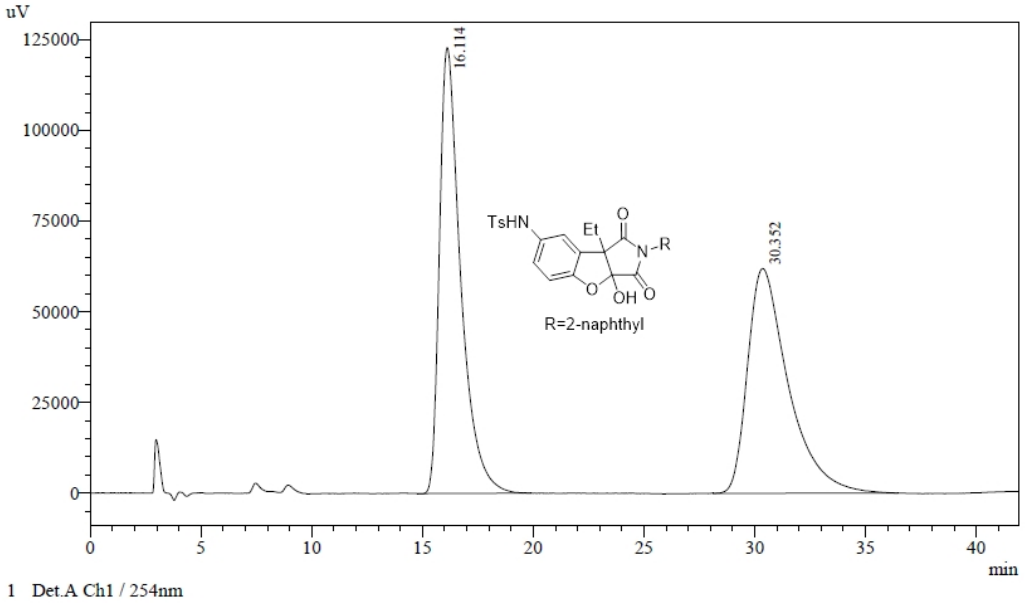


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.012	20888720	373805	98.810	98.955
2	15.895	251617	3947	1.190	1.045
Total		21140337	377752	100.000	100.000

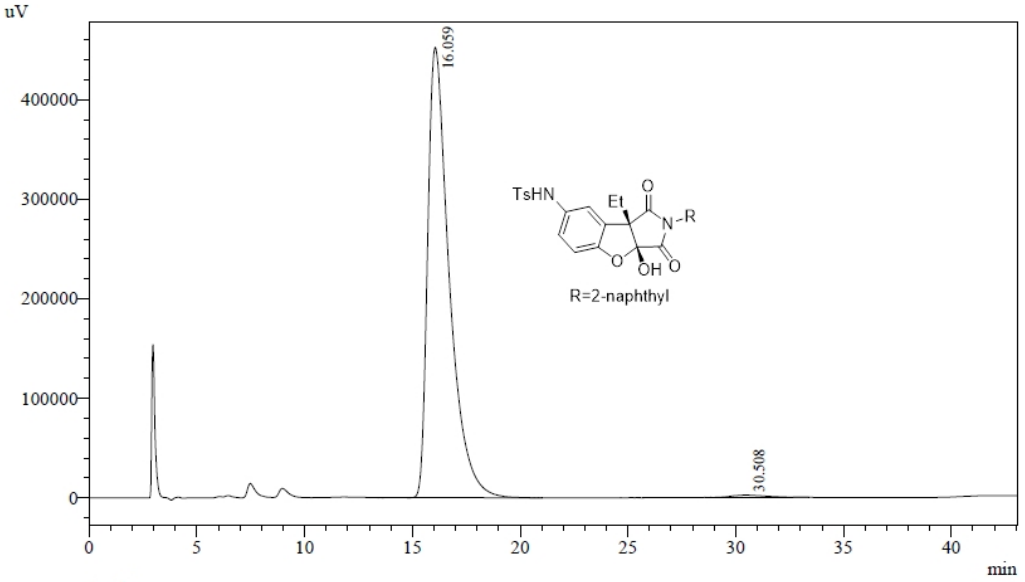
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-2-(naphthalen-2-yl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ma):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.114	8197404	122975	50.857	66.489
2	30.352	7920987	61981	49.143	33.511
Total		16118391	184956	100.000	100.000

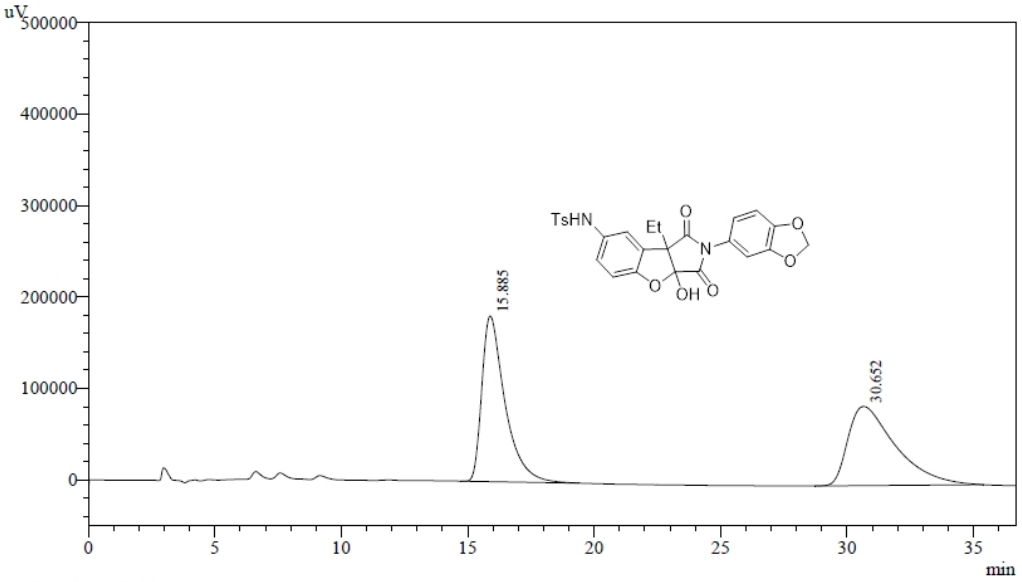


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.059	31409945	452633	99.173	99.497
2	30.508	262048	2287	0.827	0.503
Total		31671993	454920	100.000	100.000

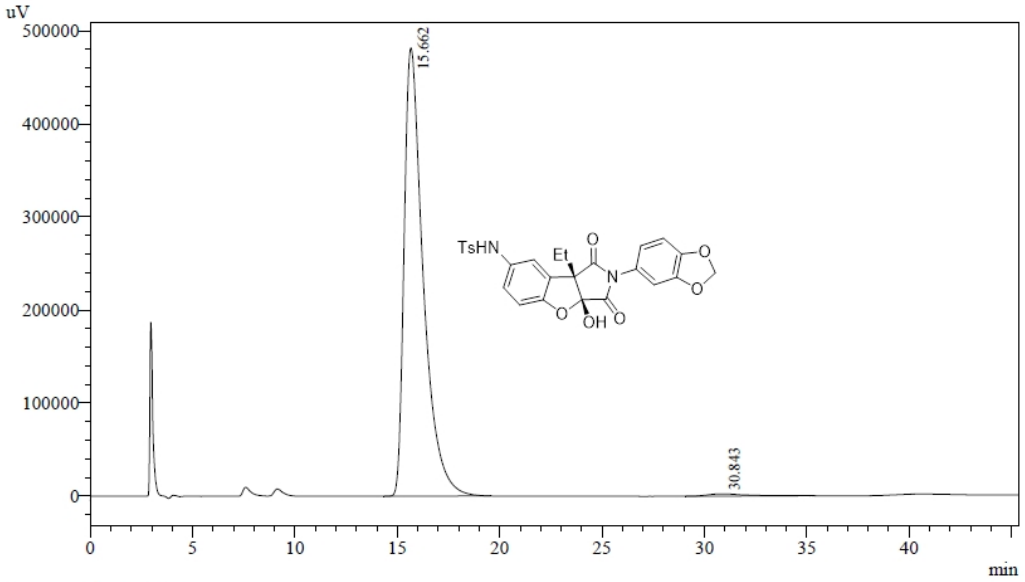
***N*-((3a*R*,8b*S*)-2-(benzo[d][1,3]dioxol-5-yl)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3na):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.885	11529711	181163	50.400	67.641
2	30.652	11346543	86666	49.600	32.359
Total		22876253	267829	100.000	100.000

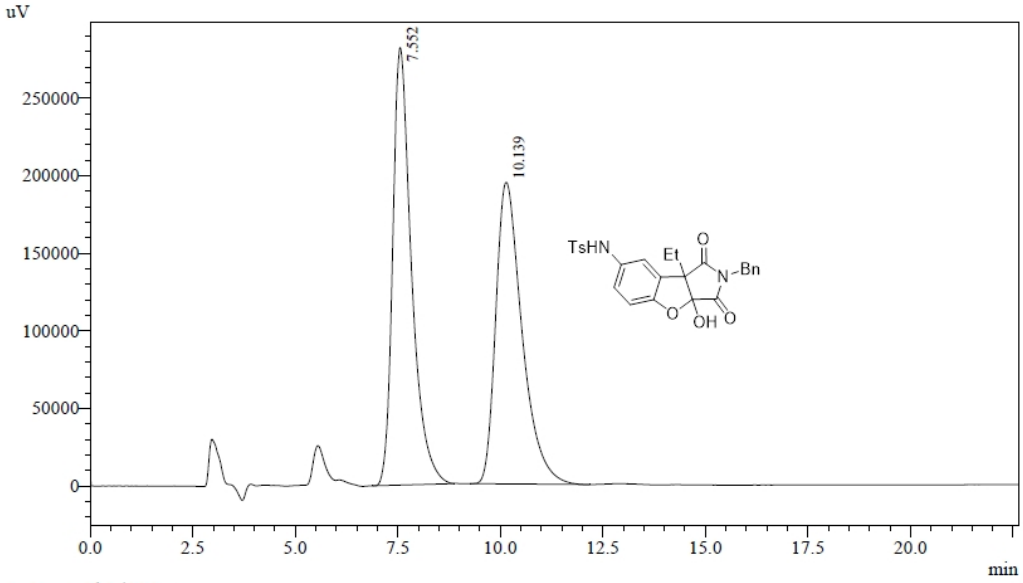


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.662	31269293	481786	99.032	99.502
2	30.843	305790	2412	0.968	0.498
Total		31575083	484198	100.000	100.000

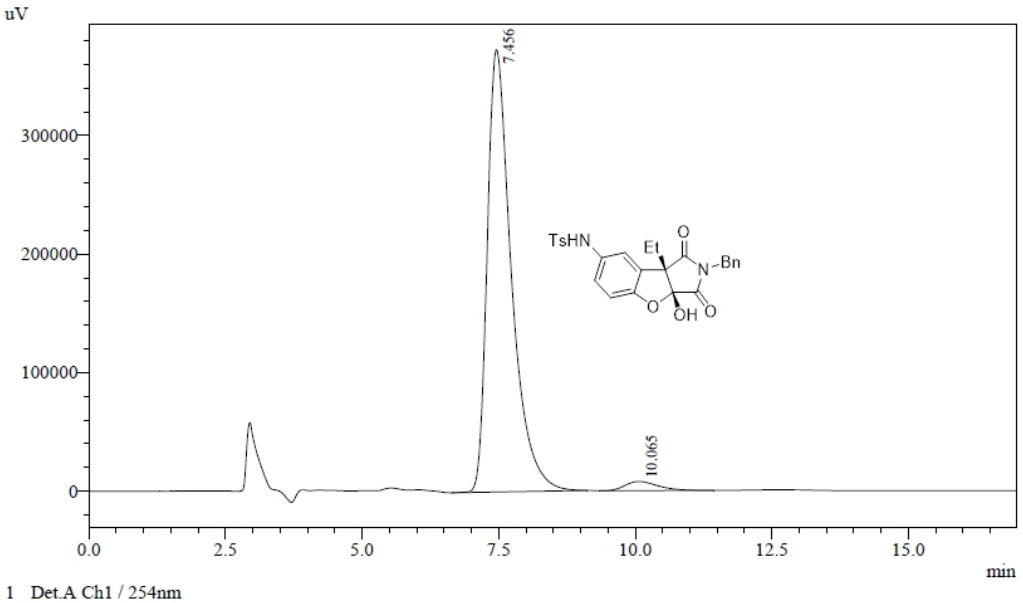
***N*-((3a*R*,8b*S*)-2-benzyl-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3oa):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.552	9007245	281983	50.979	59.185
2	10.139	8661374	194458	49.021	40.815
Total		17668619	476441	100.000	100.000

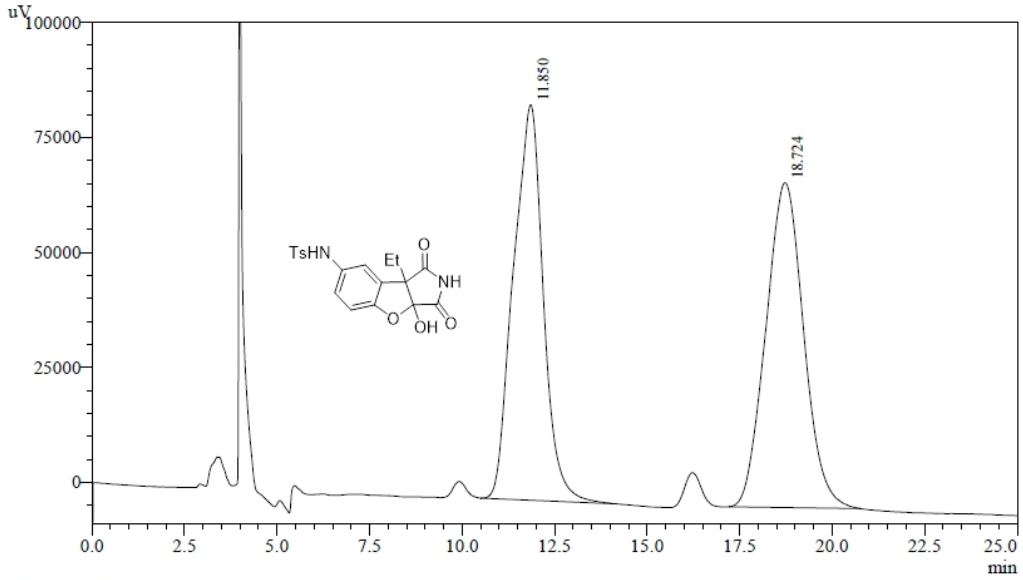


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.456	11505345	373125	97.302	97.980
2	10.065	319063	7692	2.698	2.020
Total		11824408	380817	100.000	100.000

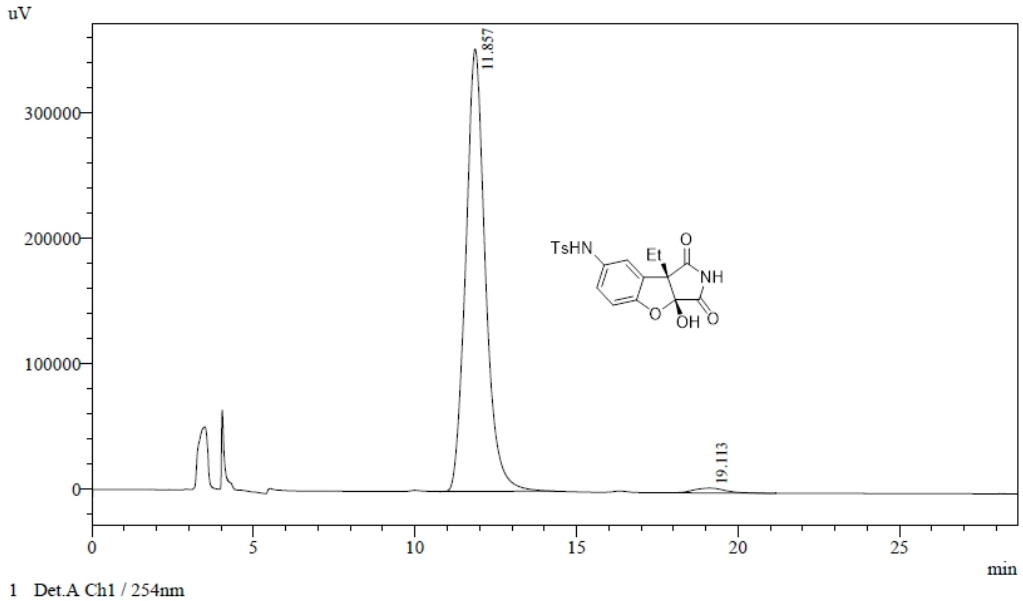
***N*-((3a*R*,8b*S*)-8b-ethyl-3a-hydroxy-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c] pyrrol-7-yl)-4-methyl benzenesulfonamide (3pa):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.850	4826245	85942	49.028	54.891
2	18.724	5017587	70627	50.972	45.109
Total		9843831	156569	100.000	100.000

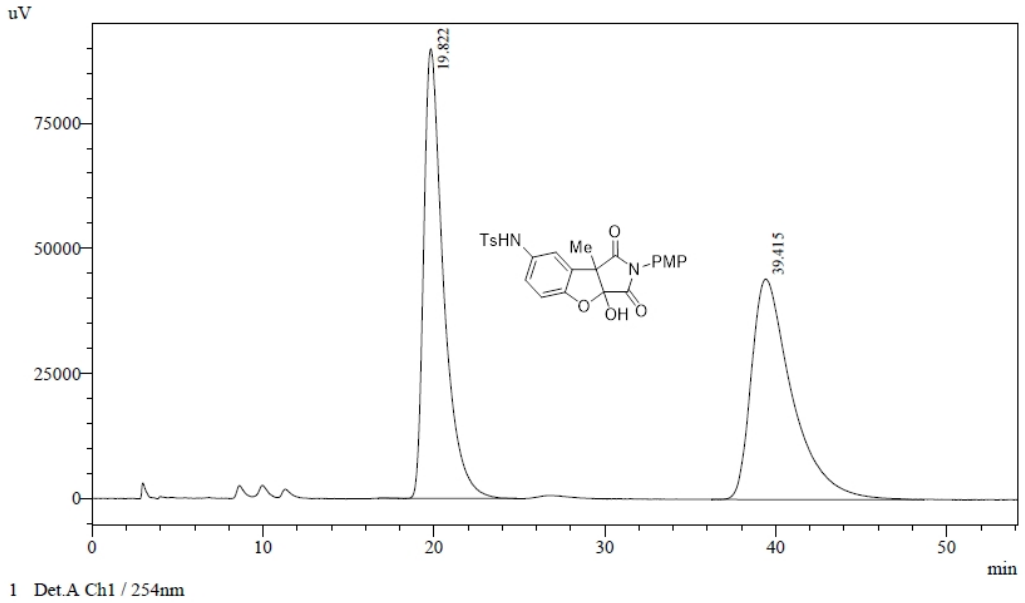


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.857	14924509	352456	98.279	98.911
2	19.113	261291	3882	1.721	1.089
Total		15185800	356337	100.000	100.000

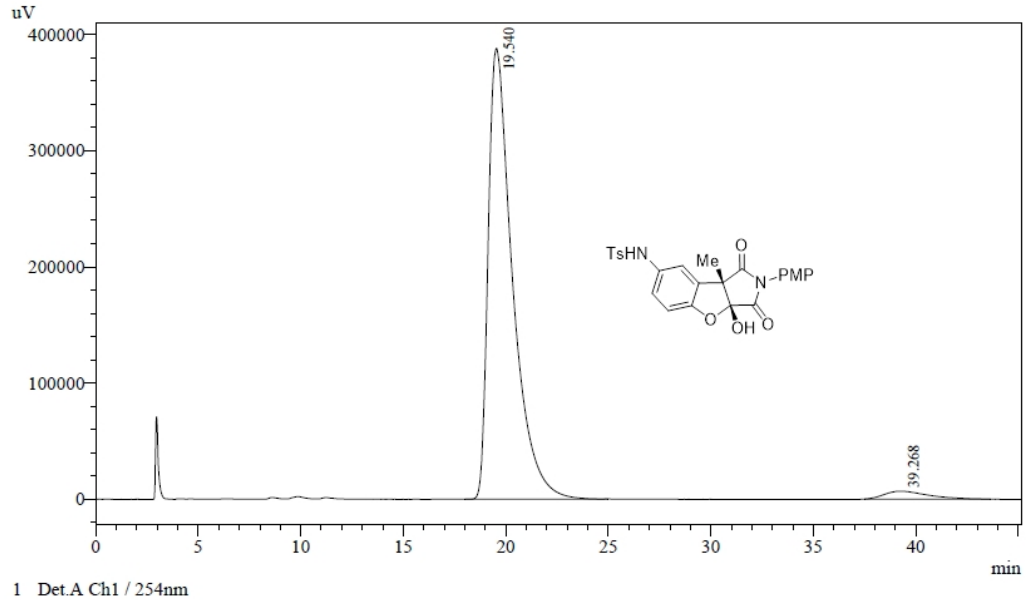
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-8b-methyl-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzo-furo[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3qa):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.822	7233399	89835	49.885	67.102
2	39.415	7266783	44043	50.115	32.898
Total		14500181	133878	100.000	100.000

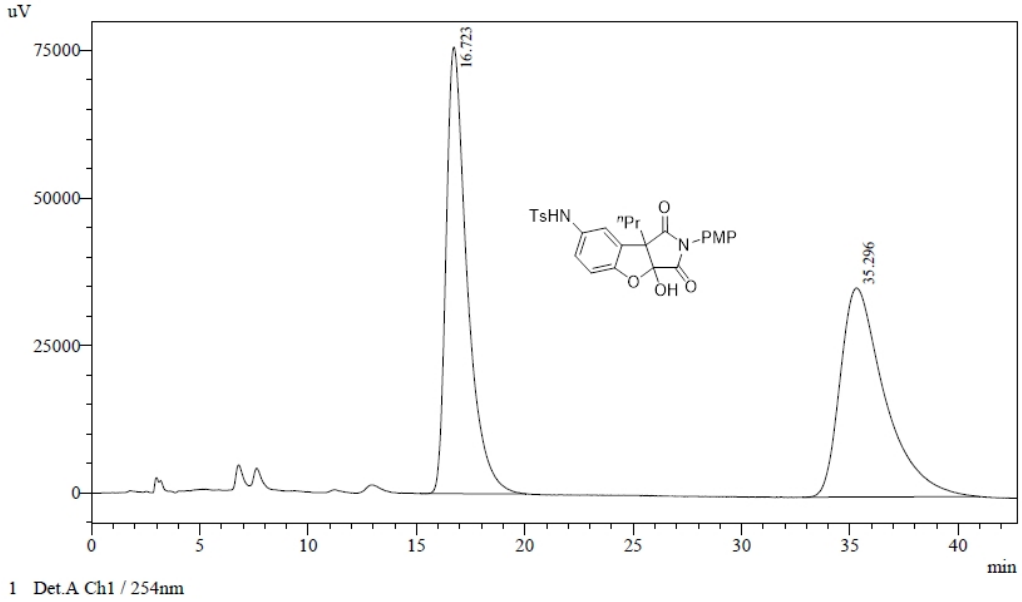


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.540	32000779	388197	96.910	98.263
2	39.268	1020301	6864	3.090	1.737
Total		33021081	395061	100.000	100.000

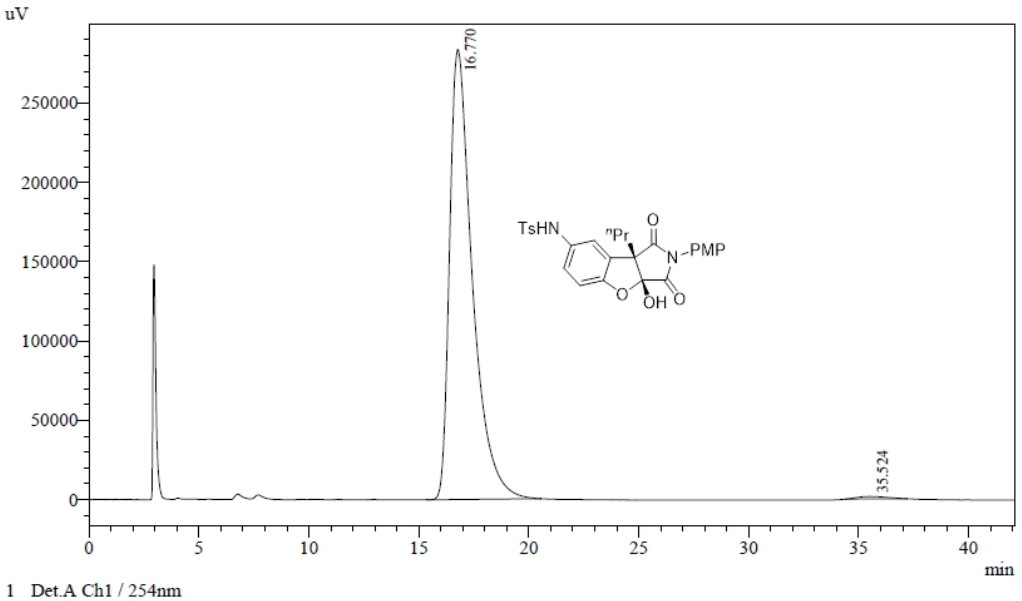
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-propyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ra):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.723	5109388	75704	50.188	68.117
2	35.296	5071161	35435	49.812	31.883
Total		10180550	111139	100.000	100.000

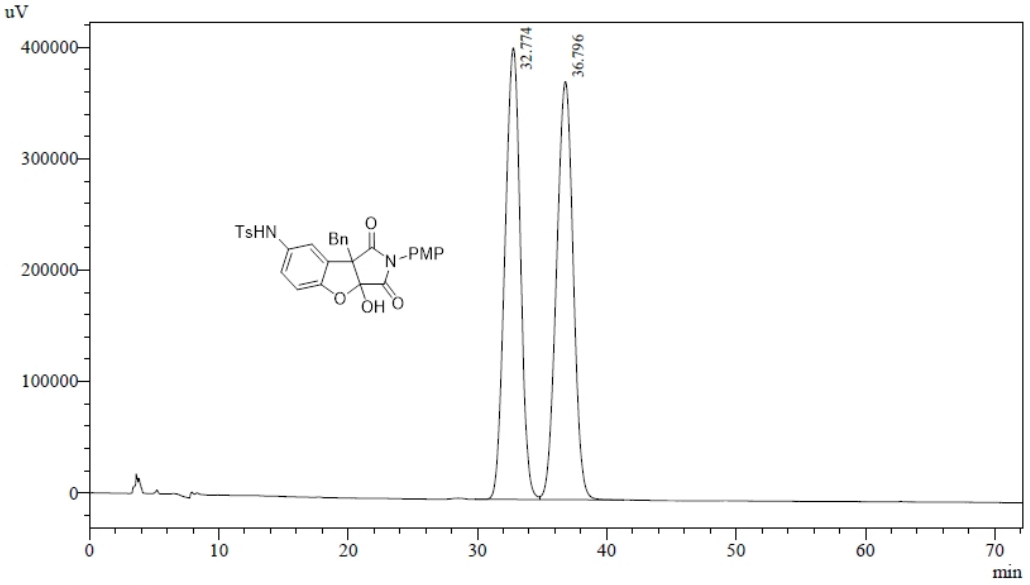


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.770	20438946	283768	99.289	99.446
2	35.524	146441	1580	0.711	0.554
Total		20585387	285348	100.000	100.000

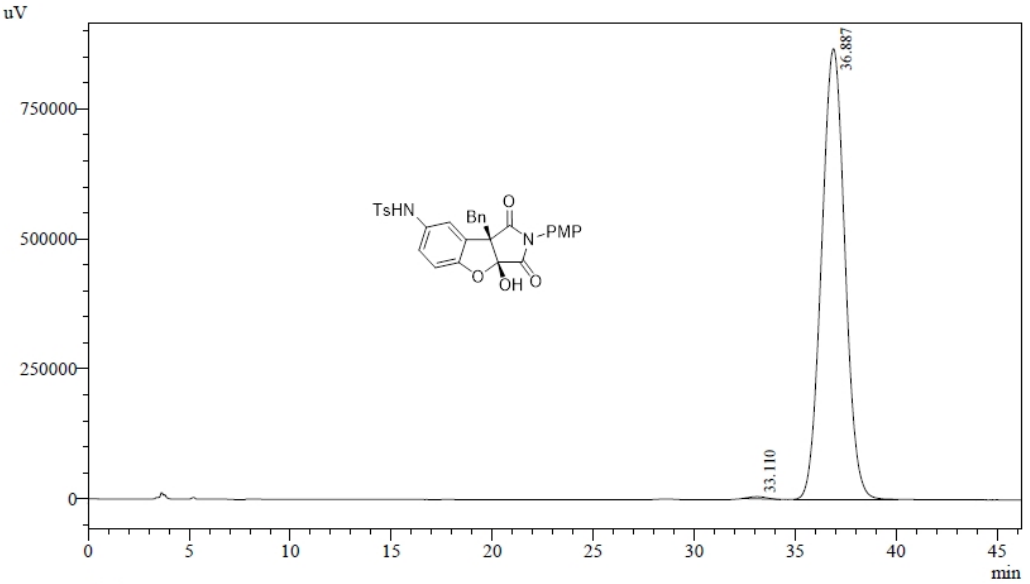
***N*-((3a*R*,8b*S*)-8b-benzyl-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3sa):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	32.774	33613328	405535	49.938	51.927
2	36.796	33696556	375431	50.062	48.073
Total		67309884	780965	100.000	100.000

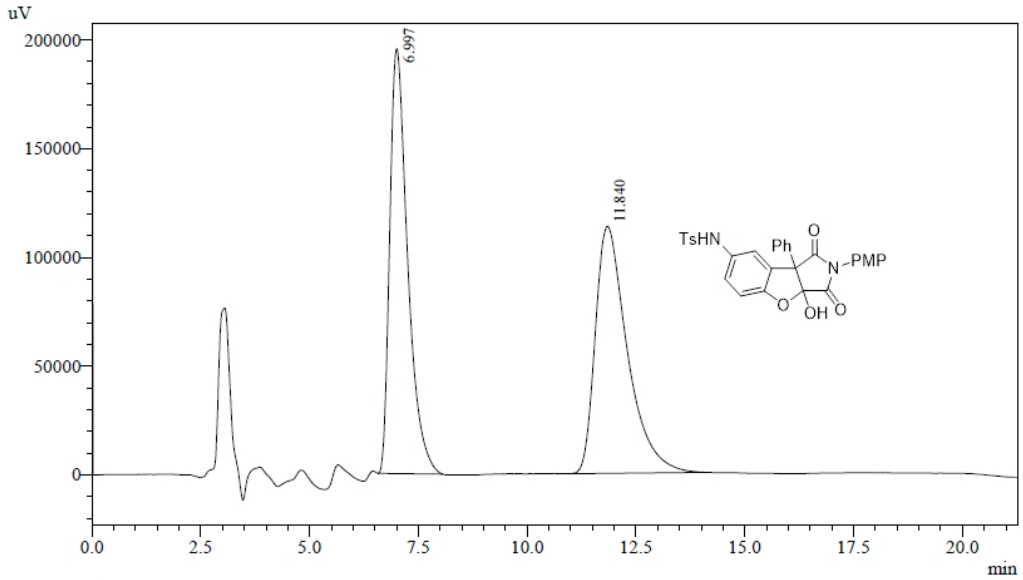


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	33.110	242987	4266	0.349	0.490
2	36.887	69473179	866647	99.651	99.510
Total		69716166	870913	100.000	100.000

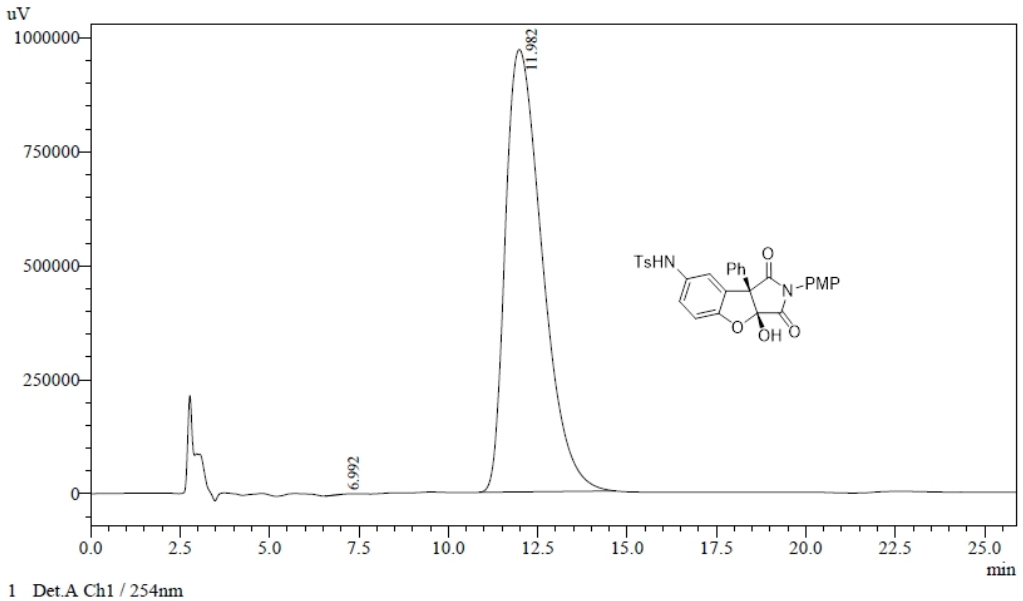
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ta)**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.997	5590467	195393	49.009	63.234
2	11.840	5816455	113606	50.991	36.766
Total		11406922	308999	100.000	100.000

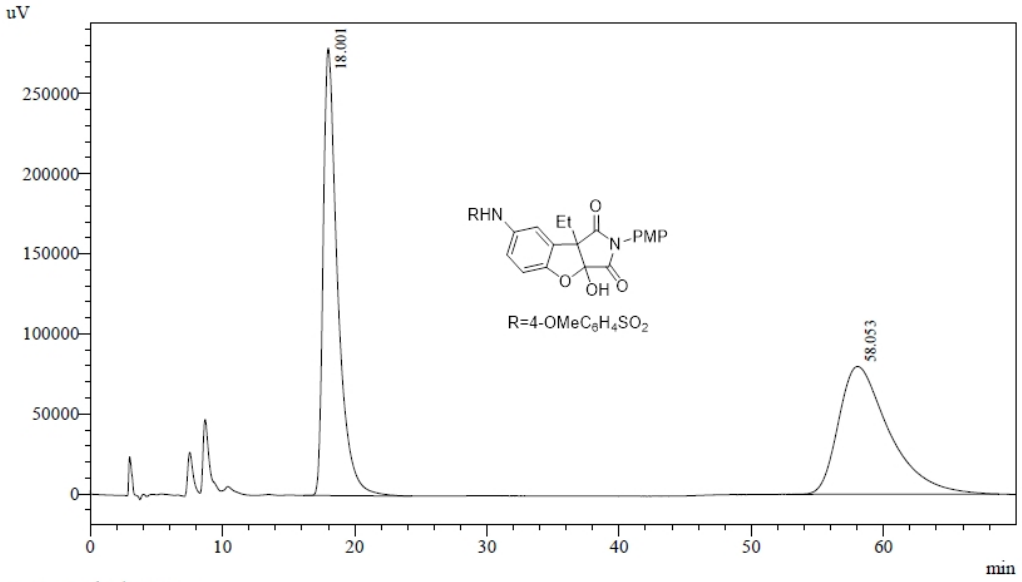


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.992	81	6	0.000	0.001
2	11.982	69760807	970824	100.000	99.999
Total		69760888	970830	100.000	100.000

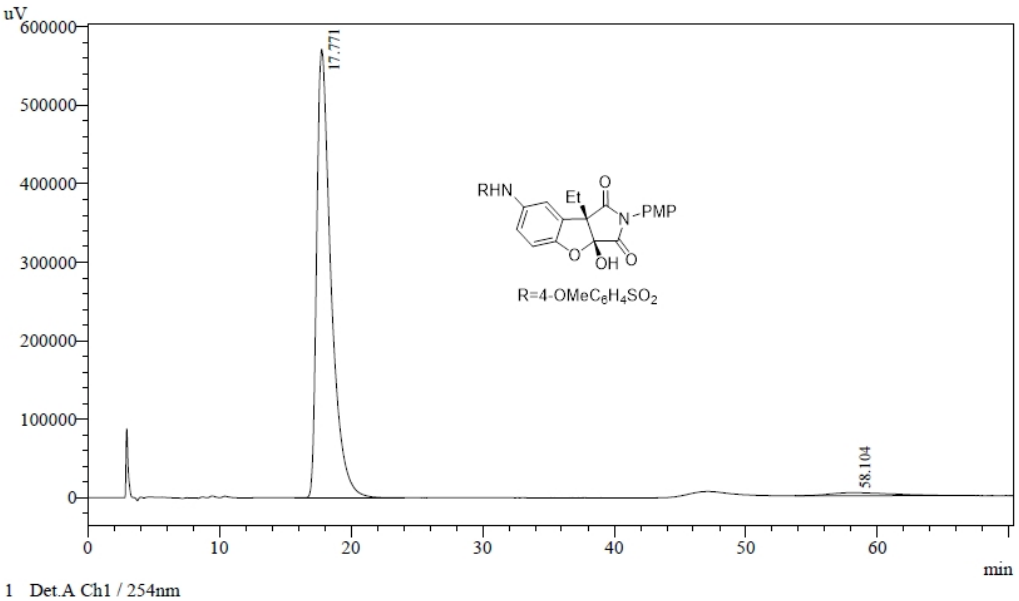
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methoxybenzenesulfonamide (3ab):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.001	21248703	279162	50.042	77.788
2	58.053	21212874	79715	49.958	22.212
Total		42461577	358876	100.000	100.000

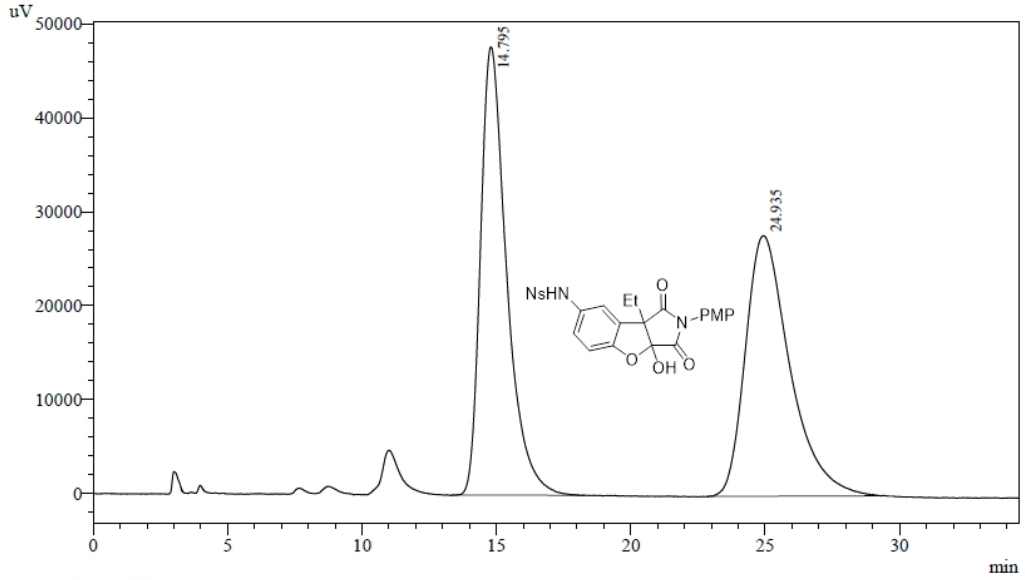


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.771	43267634	571809	97.127	99.318
2	58.104	1279921	3929	2.873	0.682
Total		44547555	575737	100.000	100.000

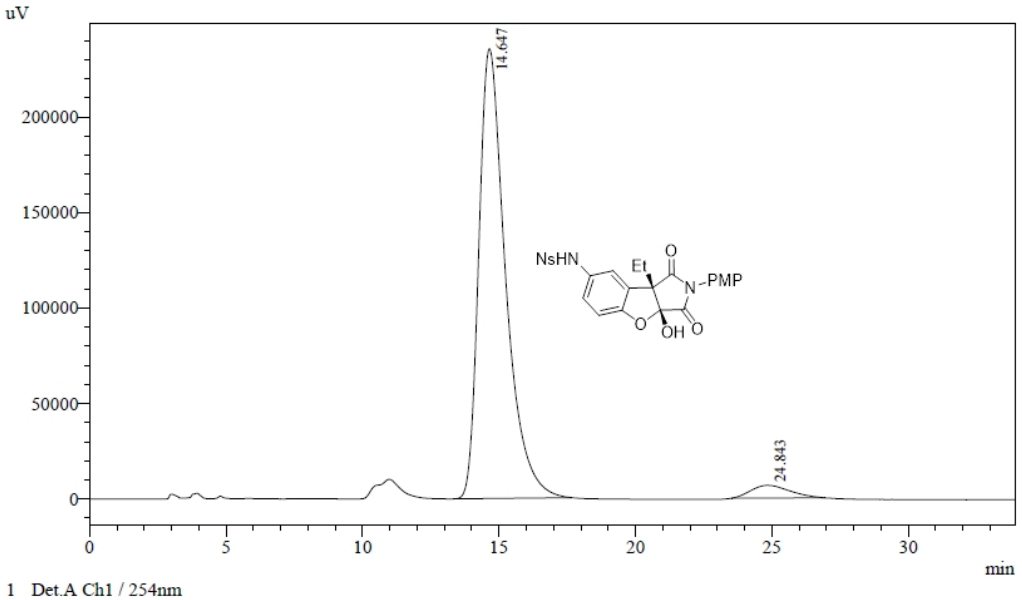
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-nitrobenzenesulfonamide (3ac):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.795	3231184	47728	50.325	63.247
2	24.935	3189505	27735	49.675	36.753
Total		6420689	75463	100.000	100.000

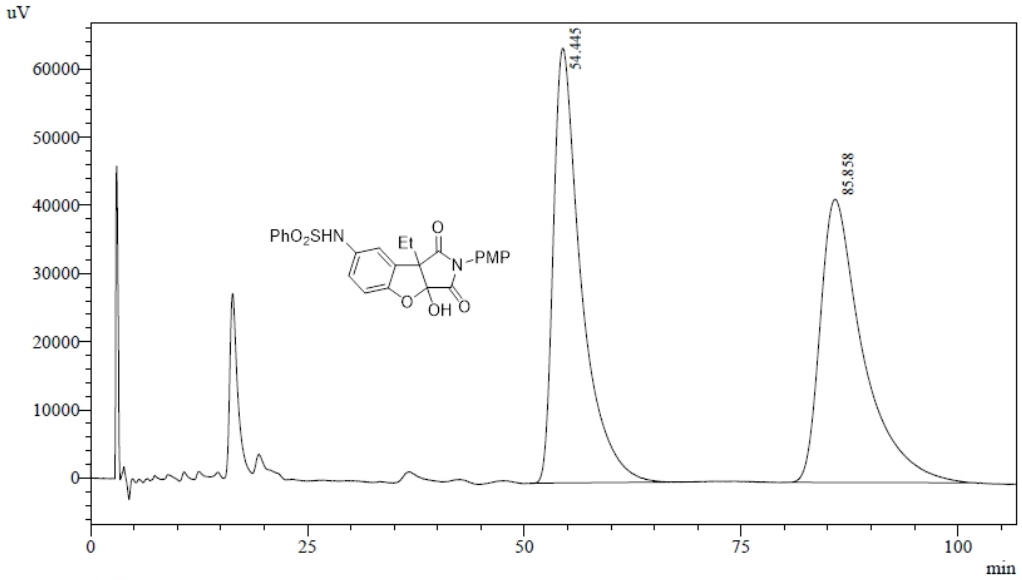


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.647	16025063	235462	96.051	97.252
2	24.843	658929	6652	3.949	2.748
Total		16683992	242114	100.000	100.000

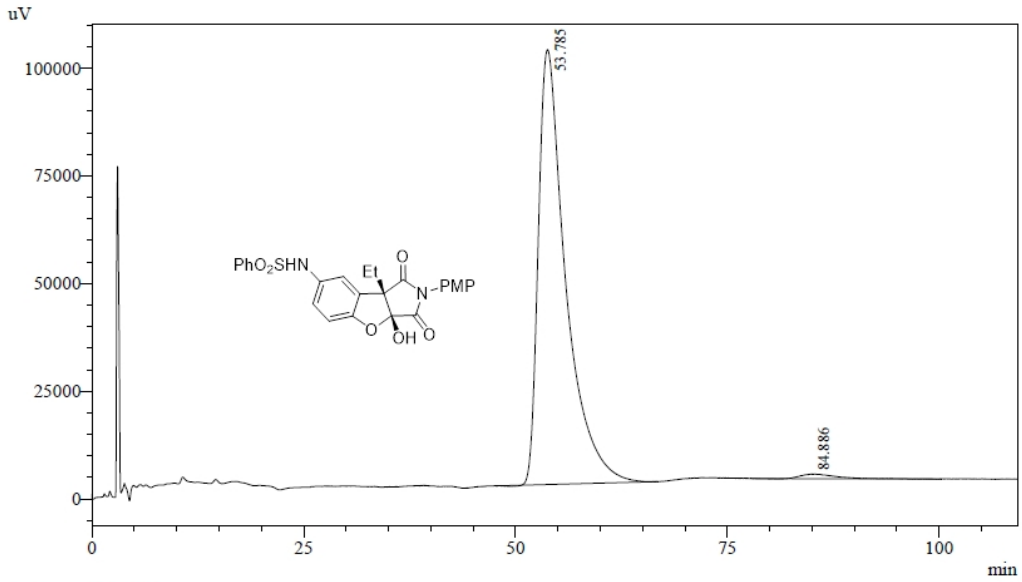
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)benzenesulfonamide (3ad):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	54.445	14237373	63748	50.063	60.546
2	85.858	14201410	41540	49.937	39.454
Total		28438783	105288	100.000	100.000

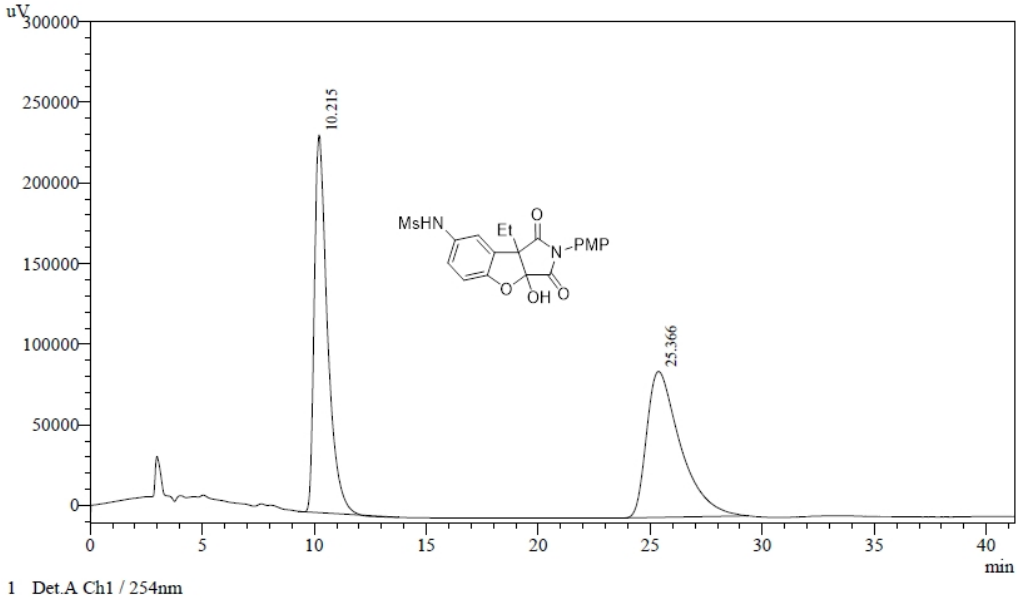


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	53.785	22675624	100984	98.788	98.960
2	84.886	278140	1062	1.212	1.040
Total		22953764	102046	100.000	100.000

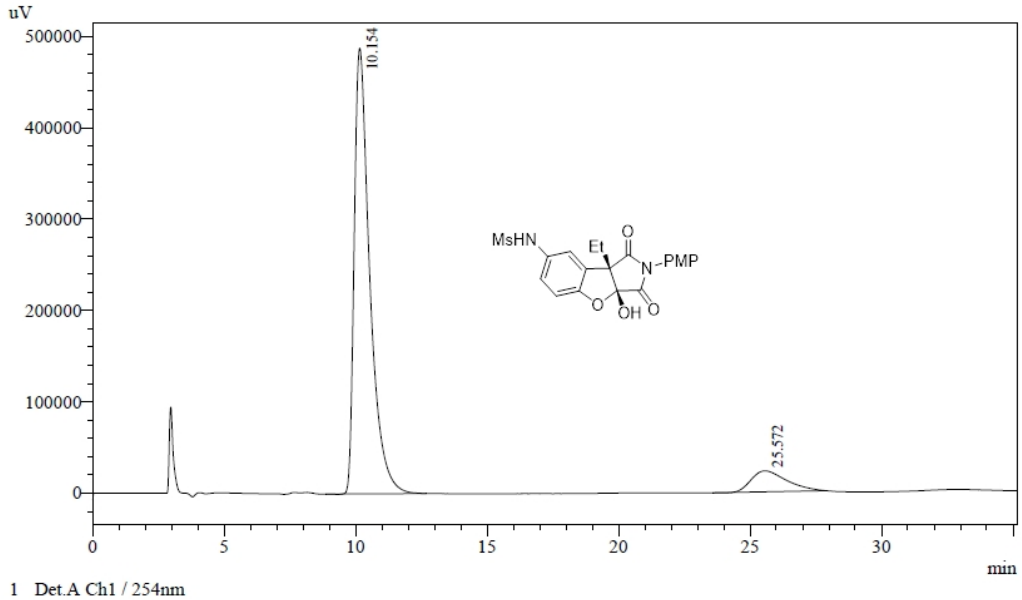
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)methanesulfonamide (3ae):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.215	9554748	234197	50.082	72.122
2	25.366	9523437	90528	49.918	27.878
Total		19078185	324725	100.000	100.000

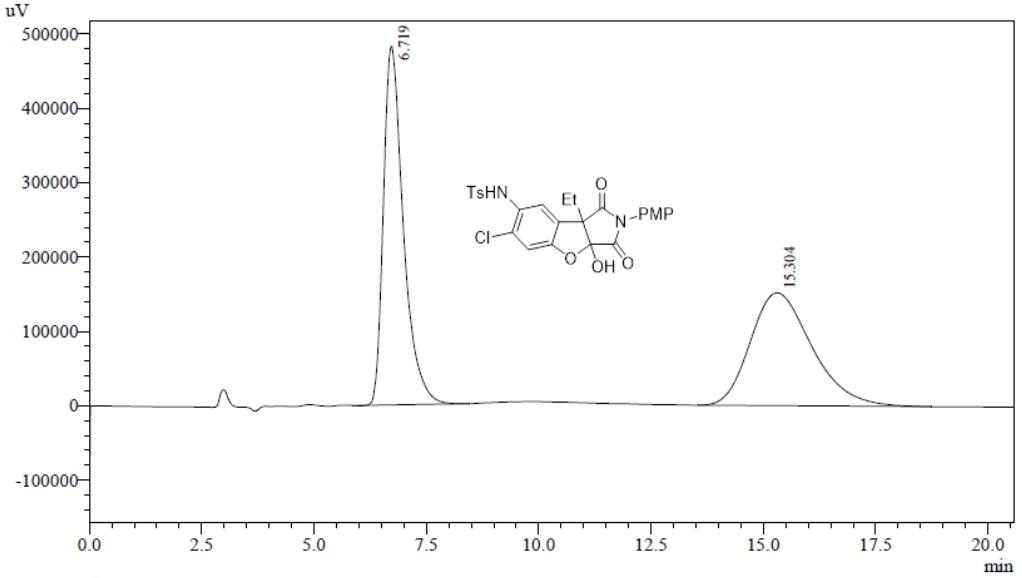


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.154	20049834	487739	90.344	95.508
2	25.572	2142967	22939	9.656	4.492
Total		22192801	510678	100.000	100.000

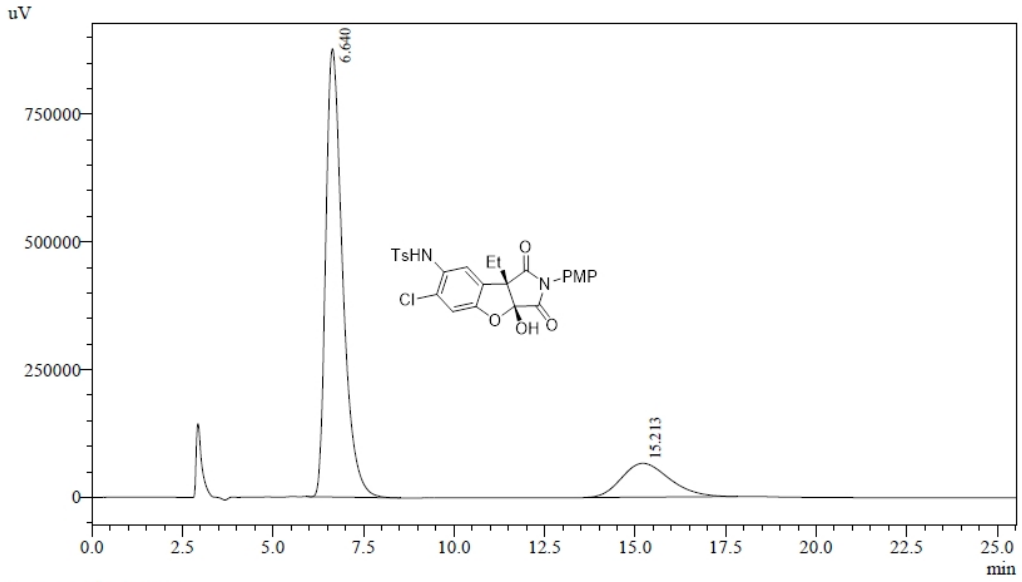
***N*-((3a*R*,8b*S*)-6-chloro-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3af):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.719	14908720	482174	50.743	76.059
2	15.304	14471940	151773	49.257	23.941
Total		29380660	633947	100.000	100.000

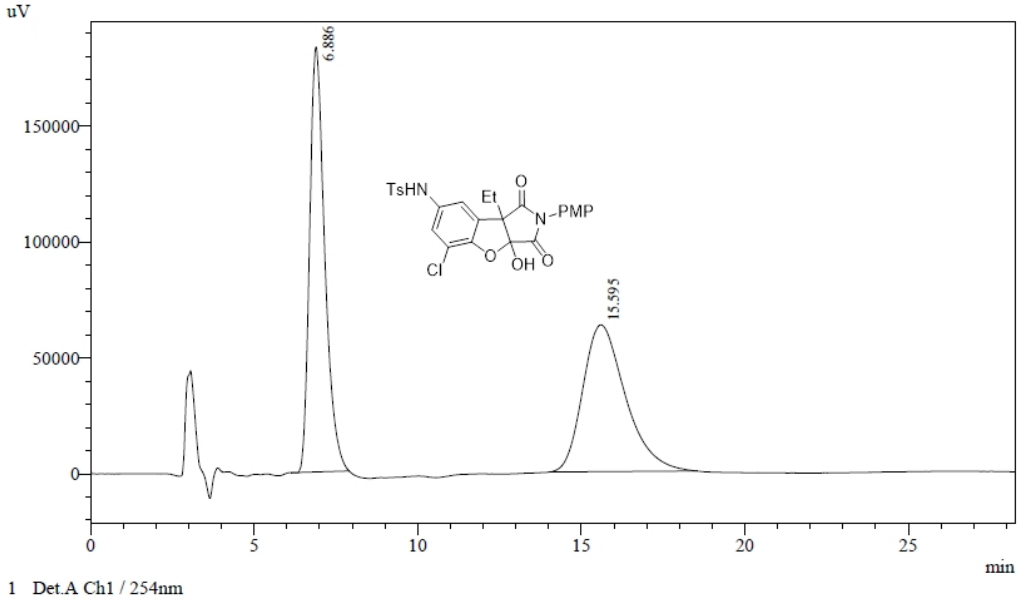


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.640	28426218	877392	82.291	92.982
2	15.213	6117292	66224	17.709	7.018
Total		34543510	943616	100.000	100.000

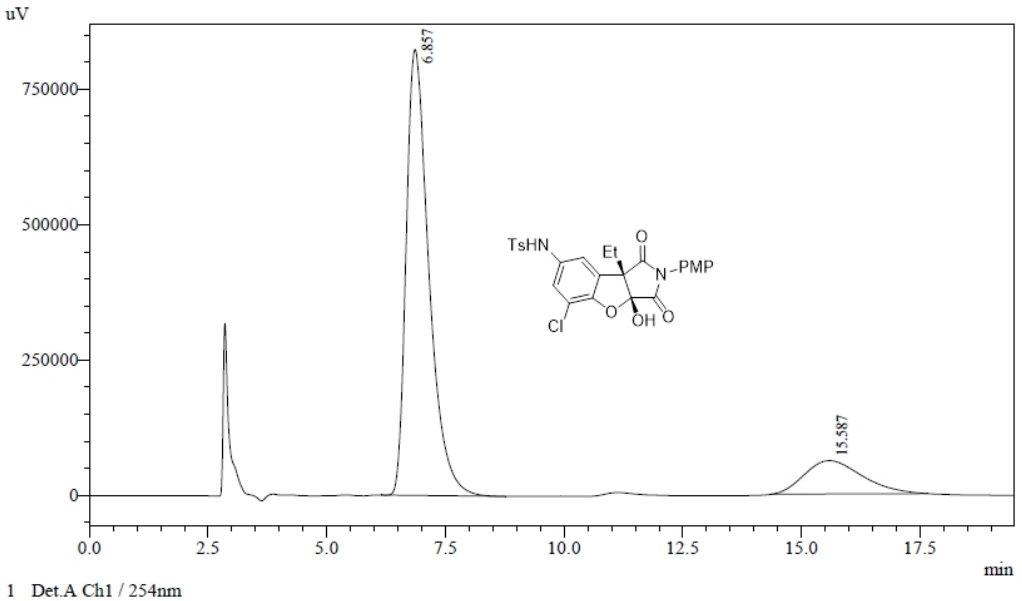
***N*-((3a*R*,8b*S*)-5-chloro-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ag):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.886	5904086	183361	50.657	74.327
2	15.595	5750882	63335	49.343	25.673
Total		11654968	246695	100.000	100.000

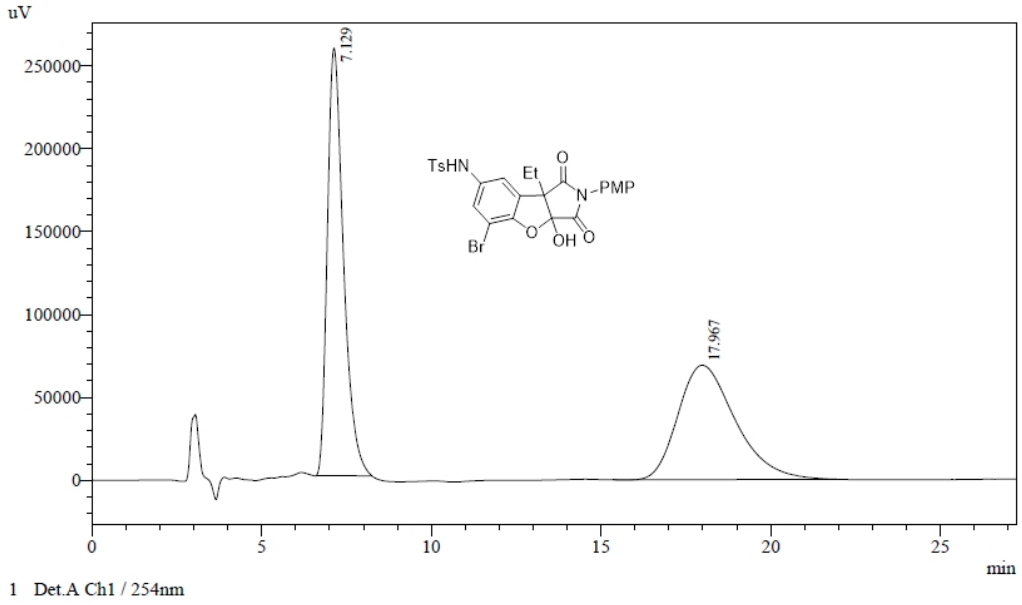


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.857	27412930	823175	83.969	93.045
2	15.587	5233605	61535	16.031	6.955
Total		32646535	884710	100.000	100.000

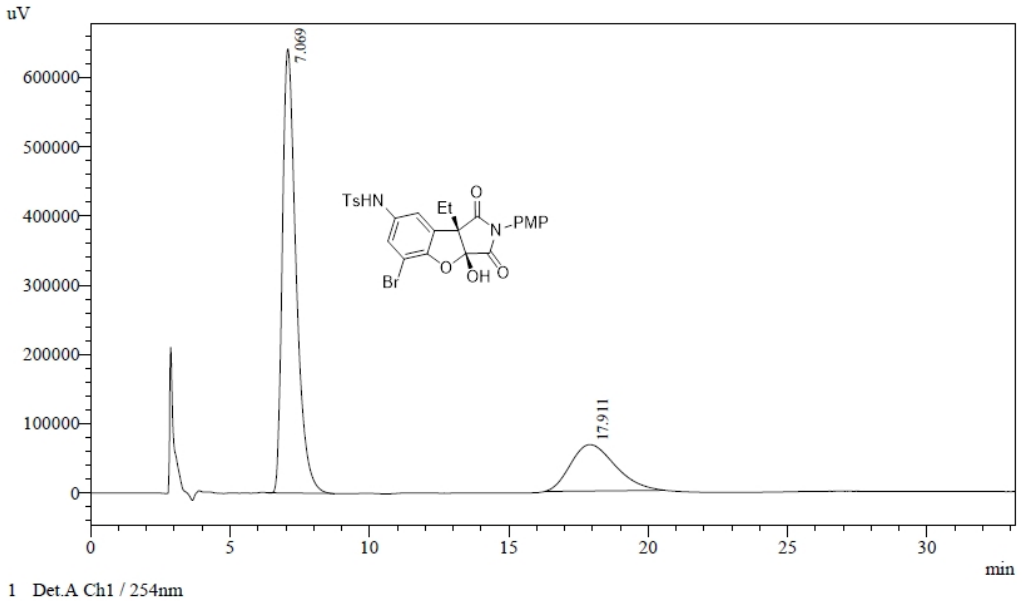
***N*-((3a*R*,8b*S*)-5-bromo-3a-hydroxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ah):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.129	8537480	257841	51.276	78.884
2	17.967	8112703	69019	48.724	21.116
Total		16650183	326860	100.000	100.000

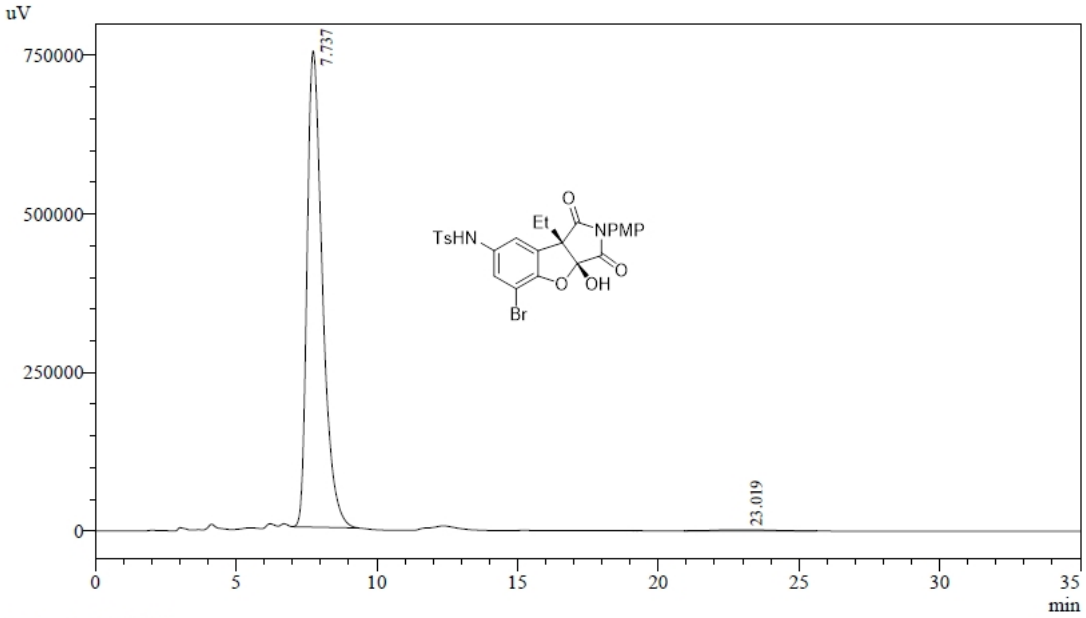


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.069	22004061	641537	74.680	90.544
2	17.911	7460539	66997	25.320	9.456
Total		29464599	708535	100.000	100.000

3ah: obtained by bromination of 3aa

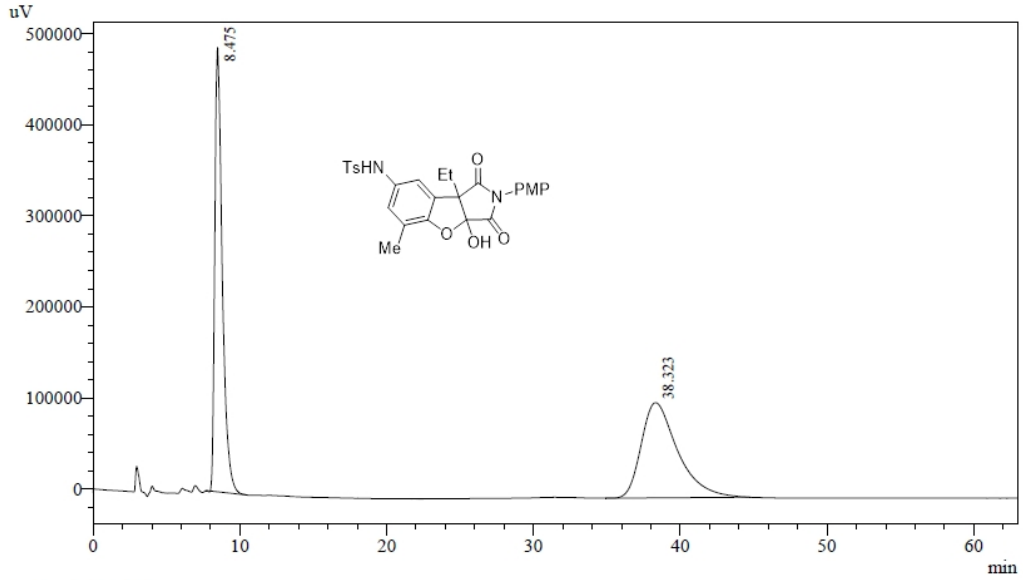


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.737	28532388	750663	99.122	99.754
2	23.019	252709	1854	0.878	0.246
Total		28785097	752517	100.000	100.000

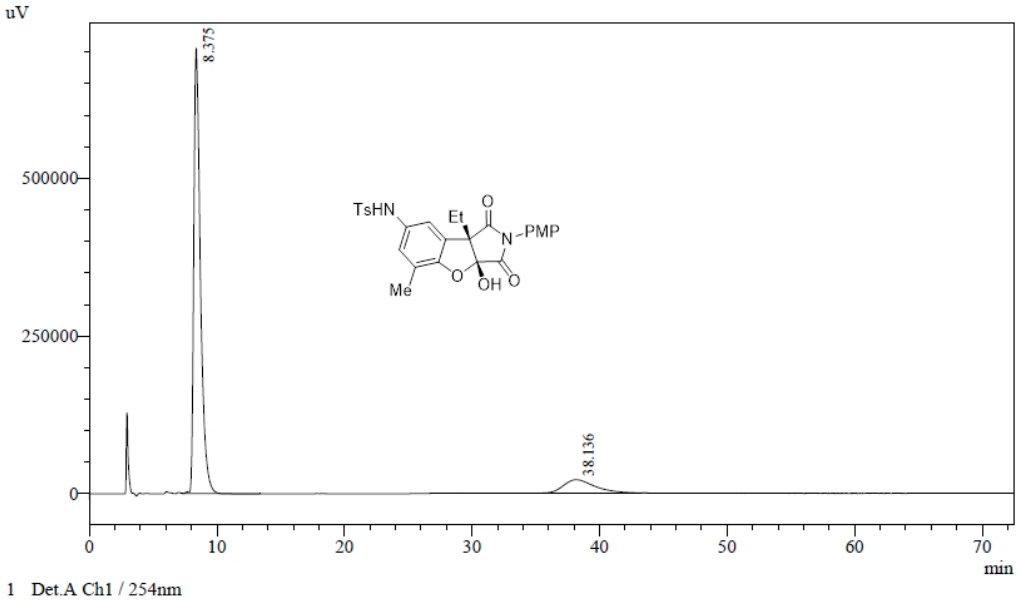
***N*-((3a*R*,8b*S*)-3a-hydroxy-2-(4-methoxyphenyl)-5-methyl-1,3-dioxo-8b-phenyl-2,3,3a,8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3ai):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.475	17391460	488303	49.068	82.346
2	38.323	18051932	104685	50.932	17.654
Total		35443392	592988	100.000	100.000

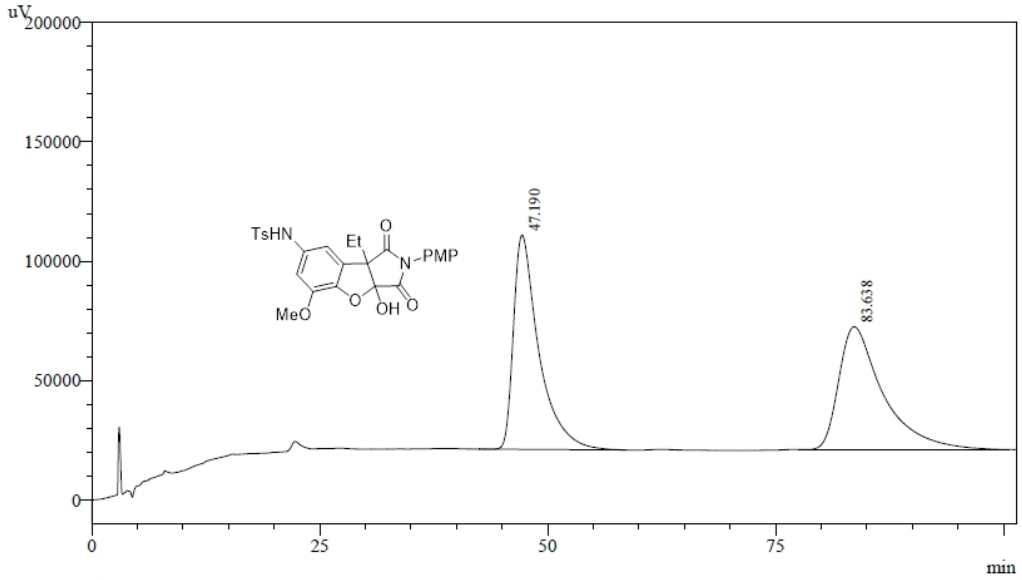


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.375	25464977	705600	87.438	97.078
2	38.136	3658357	21235	12.562	2.922
Total		29123333	726834	100.000	100.000

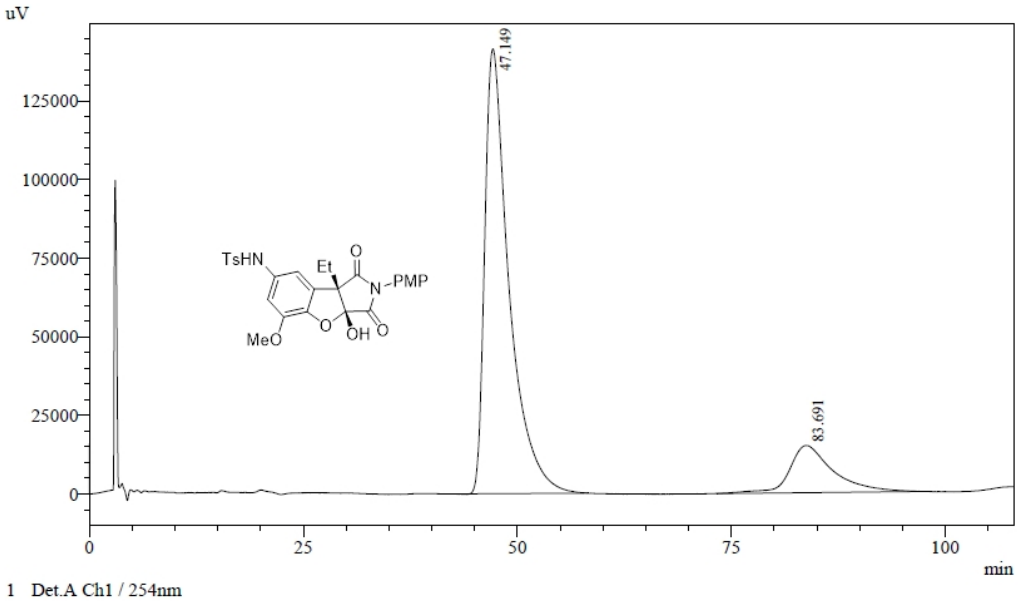
***N*-((3a*R*,8b*S*)-3a-hydroxy-5-methoxy-2-(4-methoxyphenyl)-1,3-dioxo-8b-phenyl-2,3,3a, 8b-tetrahydro-1*H*-benzofuro[2,3-c]pyrrol-7-yl)-4-methylbenzenesulfonamide (3aj):**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	47.190	17380704	89714	49.990	63.552
2	83.638	17387962	51452	50.010	36.448
Total		34768666	141166	100.000	100.000



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	47.149	27935390	141487	84.021	90.401
2	83.691	5312663	15024	15.979	9.599
Total		33248052	156510	100.000	100.000