Supplementary Material (ESI) for Chemical Communications

Supporting Information

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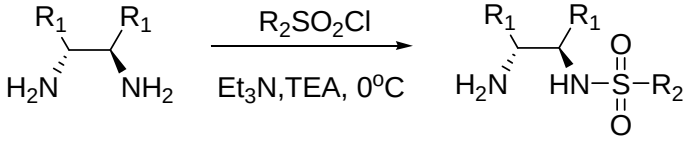
A: General Information and Starting Materials

General Information. Proton nuclear magnetic resonance (^{1}H NMR) spectra and carbon nuclear magnetic resonance (^{13}C NMR) spectra were recorded on a Bruker AV-400 spectrometer (400 MHz and 100 MHz). Chemical shifts for protons are reported in parts per million downfield from tetramethylsilane and are referenced to residual protium in the NMR solvent ($CDCl_3$: δ 7.26) Chemical shifts for carbon are reported in parts per million downfield from tetramethylsilane and are referenced to the carbon resonances of the solvent ($CDCl_3$: δ 77.16). Data are represented as follows: chemical shift, integration, multiplicity (br = broad, s = singlet, d = doublet, dd = double doublet, t = triplet, q = quartet, m = multiplet), coupling constants in Hertz (Hz). High resolution mass spectrometry(ESI) was carried out using an ACQUITY Ultra Performance Liquid Chromatography system (Waters, Milford MA) coupled with a Q-TOF premier (Waters MS Technologies, Manchester, U.K). Mass spectra (EI) were measured on a Waters Micromass GCT spectrometer. Optical rotations were measured on an Autopol III automatic polarimeter (Rudolph Research analytical). Enantiomeric excess was determined by chiral HPLC using Agilent 1200 Series or chiral GC using Agilent GC7890, Chiralpak AS-H (0.46cm x 25 cm), Chiralpak IC (0.46cm x 25 cm), Chiralpak IA (0.46cm x 25 cm) or Chirasil G-TA.

Starting Materials. All solvents and inorganic reagents were from commercial sources and used without purification unless otherwise noted. Both aromatic enones and *N*-substituted maleimide derivatives were prepared according to literatures. Unless otherwise noted, materials were obtained from commercial sources and used without purification.

B: Procedure and Characterization Data for the Synthesis of Organocatalysts[1]

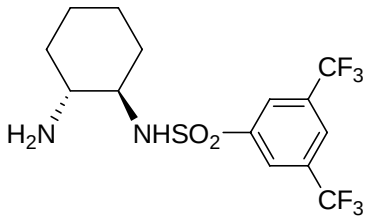


a: R_1 = Ph,
b: R_1 = -$(CH_2)_4$-

To a stirred solution of chiral diamine **a** or **b** (11.0mmol) in THF was added triethylamine (2.02 g, 20 mmol), The mixture was cooled to 0℃ and a solution of sulfonyl chloride (10 mmol) in THF (25 mL) was added dropwise over 30min. After the addition was complete, the mixture was allowed to room tempture and stirred for 12h. TLC indicated the reaction was completed, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography to afford

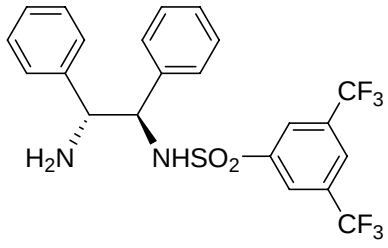
the pure product.

***N*-((1*R*,2*R*)-2-aminocyclohexyl)-3,5-bis(trifluoromethyl)benzenesulfonamide (2)**



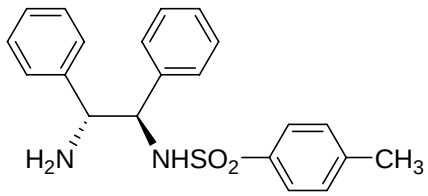
^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 8.39 (s, 2H), 8.06 (s, 1H), 2.83-2.78 (m, 1H), 2.54-2.49 (m, 1H), 1.98-1.95 (m, 1H), 1.80 (m, 1H), 1.69-1.66(m, 2H), 1.26-1.13 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 144.5, 132.7, 122.3, 125.8, 123.8, 121.1, 60.4, 54.5, 35.2, 32.6, 24.7, 24.5. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{14}H_{17}F_6N_2O_2S$) requires m/z 391.0915, found m/z 391.0906.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-3,5-bis(trifluoromethyl) benzenesulfonamide (4a)**



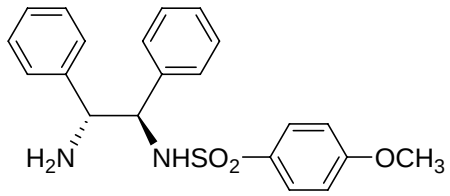
^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.87 (s, 2H), 7.80 (s, 1H), 7.17-7.09 (m, 10H), 4.53 (d, J = 4.8 Hz, 1H), 4.18 (d, J = 4.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): (ppm) 143.2, 140.9, 138.1, 132.3, 131.9, 128.7, 128.5, 127.9, 127.8, 127.1, 126.9, 126.2, 125.5, 125.4, 123.7, 121.0, 63.4, 60.1. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{22}H_{19}F_6N_2O_2S$) requires m/z 489.1071, found m/z 489.1065.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-4-methylbenzenesulfonamide (4b)**



^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.33 (d, J = 8.0 Hz, 2H), 7.17-7.12 (m, 10H), 6.98 (d, J = 8.0 Hz, 2H), 4.39 (d, J = 5.2 Hz, 1H), 4.14 (d, J = 5.2 Hz, 1H), 2.33 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 142.5, 141.5, 139.3, 137.2, 129.1, 128.3, 128.2, 127.4, 127.3, 127.0, 126.8, 126.6, 63.5, 60.6, 21.4. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{21}H_{23}N_2O_2S$) requires m/z 367.1480, found m/z 367.1476.

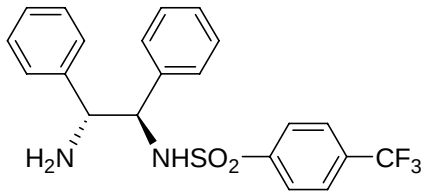
***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-4-methoxybenzenesulfonamide (4c)**



^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.37 (d, J = 8.8Hz, 2H), 7.19-7.11 (m, 10H), 6.65(d, J = 8.8Hz, 2H), 4.38(d, J = 5.6Hz, 1H), 4.13(d, J = 5.6Hz, 1H), 3.80(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 162.3, 141.5, 139.3, 131.9, 128.9, 128.4, 128.2, 127.5, 127.3, 127.1, 126.6, 113.7, 63.4, 60.6, 55.5. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{21}H_{23}N_2O_3S$) requires m/z 383.1429, found m/z

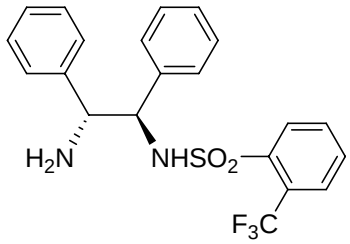
383.1421.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-4-(trifluoromethyl)benzenesulfonamide (4d)**



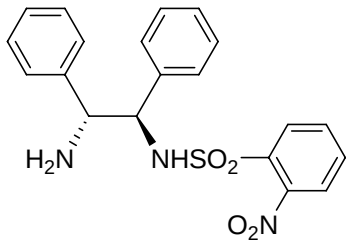
^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.50 (d, J = 8.0 Hz, 2H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.21-7.16 (m, 10H), 4.57 (d, *J* = 4.4 Hz, 1H), 4.21 (d, *J* = 4.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 143.6, 141.1, 139.0, 128.5, 128.4, 127.8, 127.7, 127.1, 126.8, 126.2, 125.6, 125.5, 63.2, 60.1. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{21}H_{20}F_3N_2O_2S$) requires m/z 421.1198, found m/z 421.1190.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-2-(trifluoromethyl)benzenesulfonamide (4e)**



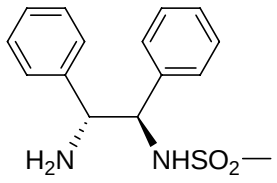
^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.64 (t, *J* = 8.0 Hz, 2H), 7.46 (t, *J* = 8.0 Hz, 2H), 7.31 (t, *J* = 8.0 Hz, 2H), 7.21-7.06 (m, 10H), 4.54 (d, *J* = 4.4 Hz, 1H), 4.22 (d, *J* = 4.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 141.0, 139.3, 138.8, 131.9, 131.8, 130.8, 128.4, 128.3, 128.1, 128.0, 127.9, 127.5, 127.0, 126.7, 126.3, 124.3, 121.6, 63.9, 60.0. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{21}H_{20}F_3N_2O_2S$) requires m/z 421.1198, found m/z 421.1192.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-2-nitrobenzenesulfonamide (4f)**



^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.68 (d, *J* = 7.6Hz, 1H), 7.51-7.47 (m, 2H), 7.33 (t, *J* = 7.6Hz, 1H), 7.27-7.25 (m, 4H), 7.22-7.14 (m, 3H), 7.11-7.04 (m, 3H), 4.72 (d, *J* = 4.0Hz, 1H), 4.33 (d, *J* = 4.0Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 147.1, 141.0, 139.3, 134.4, 132.7, 132.6, 130.1, 128.4, 128.2, 127.7, 126.7, 126.5, 124.9, 64.7, 59.9. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{20}H_{20}N_3O_4S$) requires m/z 398.1175, found m/z 398.1171.

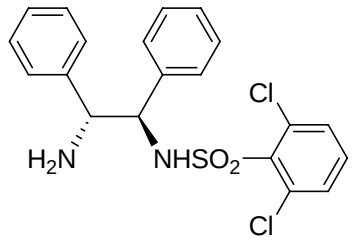
***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)methanesulfonamide(4g)**



^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.35-7.27 (m, 10H), 4.57 (d, *J* = 5.2 Hz, 1H), 4.30 (d, *J* = 5.2 Hz, 1H), 2.28 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 141.8, 139.7, 128.7, 128.6, 127.8, 127.0, 126.9, 63.7, 60.3, 40.8. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{15}H_{19}N_2O_2S$) requires m/z

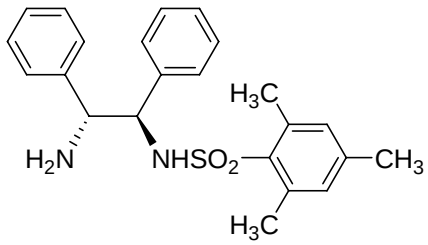
291.1167, found m/z 291.1167.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-2,6-dichlorobenzenesulfonamide (4h)**



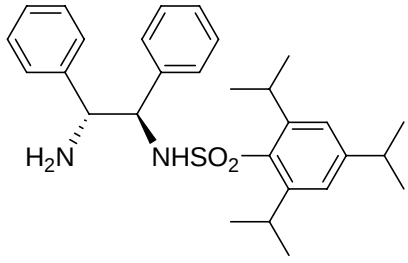
^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.27-7.23 (m, 2H), 7.18-7.06 (m, 11H), 4.70 (d, *J* = 4.8 Hz, 1H), 4.27 (d, *J* = 4.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 141.1, 138.7, 136.0, 134.4, 131.7, 131.0, 128.3, 128.2, 127.6, 126.6, 126.4, 64.2, 59.9. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{20}H_{19}Cl_2N_2O_2S$) requires m/z 421.0544, found m/z 421.0547.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-2,4,6-trimethylbenzenesulfonamide(4i)**



^{1}H NMR (400 MHz, CDCl3): δ (ppm) 7.15-7.14 (m, 3H), 7.06-7.00 (m, 5H), 6.94-6.92 (m, 2H), 6.70 (s, 2H), 4.33 (d, *J* = 6.8 Hz, 1H), 4.00 (d, *J* = 6.8 Hz, 1H), 2.41 (s, 6H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 141.7, 141.6, 138.7, 134.1, 131.6, 128.3, 127.8, 127.3, 127.2, 127.2, 126.6, 63.9, 60.8, 22.9, 20.8. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{23}H_{27}N_2O_2S$) requires m/z 395.1793, found m/z 395.1784.

***N*-((1*R*,2*R*)-2-amino-1,2-diphenylethyl)-2,4,6-triisopropylbenzenesulfonamide(4j)**



^{1}H-NMR (400 MHz, $CDCl_3$): δ (ppm) 7.31-7.29 (m, 2H), 7.10-7.09 (m, 3H), 6.88-6.78 (m, 7H), 5.03 (d, *J* = 10.8 Hz, 1H), 4.90 (d, *J* = 10.8 Hz, 1H), 4.13-4.07 (m, 2H), 2.78-2.72 (m, 1H), 1.16-1.12 (m, 12H), 1.06 (d, *J* = 6.4 Hz, 6H). ^{13}C-NMR (100 MHz, $CDCl_3$): δ (ppm) 152.2, 149.8, 136.2, 134.2, 133.7, 128.6, 128.5, 127.8, 127.3, 123.1, 61.7, 59.2, 34.0, 29.6, 25.1, 24.8, 23.6. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{29}H_{39}N_2O_2S$) requires m/z 479.2732, found m/z 479.2732.

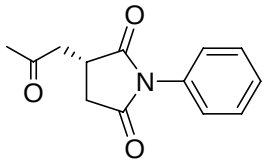
C: Typical Procedure for Asymmetric Michael Addition

To a solution of *N*-phenyl maleimide **2a** (0.5 mmol) in toluene (0.5mL) was added acetone **5a**(2.5mmol), catalyst **4a** (0.05mmol) and benzoic acid (0.05mmol). The reaction mixture was stirred at room temperature for the time indicated in Table 2 and

Table 3, and then the solvent was removed under vacuum. The residue was purified by silica gel chromatography to yield the desired addition product.

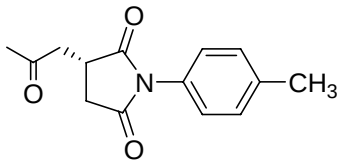
D: Characterization Data of Michael Addition Products

3-(2-oxopropyl)-1-phenylpyrrolidine-2,5-dione (7a)



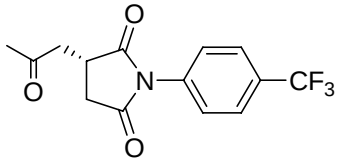
The product was obtained in 87% yield, white solid. Mp 138-141°C; $[\alpha]^{20}_{D}$ = + 10.3° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.46-7.43 (m, 2H), 7.38-7.34 (m, 1H), 7.30-7.28 (m, 2H), 3.12-2.99 (m, 4H), 2.51(dd, *J* = 4.0Hz, 18Hz, 1H), 2.16(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.7, 178.6, 175.5, 132.3, 129.1, 128.6, 126.6, 43.4, 35.6, 34.6, 29.7. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{13}H_{14}NO_3$) requires m/z 232.0974, found m/z 232.0973. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8 mL/min]: 10.1min (minor), 11.1 min (major), ee = 98%.

3-(2-oxopropyl)-1-p-tolylpyrrolidine-2, 5-dione (7b)



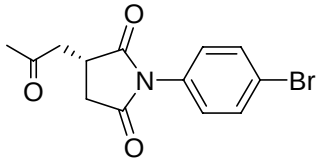
The product was obtained in 91% yield, white solid. Mp 152-154°C; $[\alpha]^{24}_{D}$ = + 9.2° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.27(d, *J*=8.0Hz, 2H), 7.18(d, *J* = 8.0Hz, 2H), 3.17-3.11(m, 1H), 3.07-3.00(m, 3H), 2.53(dd, *J* = 4.8, 17.6Hz, 1H), 2.38(s, 3H), 2.19(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.7, 178.7, 175.6, 138.6, 129.8, 129.6, 126.4, 43.4, 35.5, 34.5, 29.7, 21.2. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{14}H_{16}NO_3$) requires m/z 246.1130, found m/z 246.1138.The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.4 mL/min]: 23.5min (minor), 24.4min (major), ee = 98%.

3-(2-oxopropyl)-1-(4-(trifluoromethyl) phenyl)pyrrolidine-2,5-dione (7c)



The product was obtained in 99% yield, white solid. Mp 112-114°C; $[\alpha]^{24}_{D}$ = + 2.1° (c = 1.00 in CH_2Cl_2);^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.44(d, *J* = 8.4Hz, 2H), 7.49(d, *J* = 8.0Hz, 2H), 3.17-3.02(m, 4H), 2.58(dd, *J* = 4.4, 14.0Hz, 1H), 2.20(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.8, 178.1, 174.9, 135.6, 130.3, 126.9, 126.2, 43.2, 35.5, 34.4, 29.5. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{14}H_{13}F_3NO_3$) requires m/z 300.0848, found m/z 300.0835. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8 mL/min] 5.4min (minor), 6.2min (major), ee = 96%.

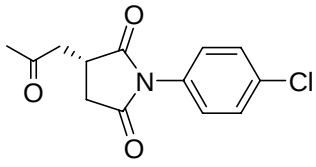
1-(4-bromophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7d)



The product was obtained in 93% yield, white solid. Mp 138-141°C; $[\alpha]^{24}_{D}$ = +3.0° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.61 (d, *J* = 8.8Hz, 2H), 7.23(d, *J* = 8.8Hz, 2H), 3.173.09 (m, 3H), 3.07-3.05 (m,

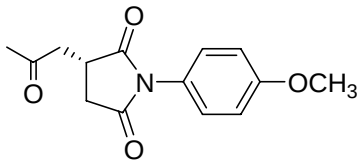
1H), 2.57(dd, *J* = 4.4Hz, 17.6Hz), 2.22(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.8, 178.3, 175.1, 132.3, 131.3, 128.2, 122.3.43.3, 35.5, 34.5, 29.7. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{13}H_{13}BrNO_3$) requires m/z 310.0079, found m/z 310.0070. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8 mL/min]: 5.9min (minor), 6.6min (major), ee = 97%

1-(4-chlorophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7e)



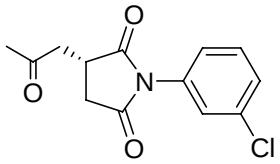
The product was obtained in 93% yield, white solid. Mp 123-126°C; $[\alpha]^{24}_D$ = + 7.5° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.43(d, *J* = 8.4, 2H), 7.27(d, *J* = 8.4, 2H), 3.12-3.05(m, 3H), 3.03-2.98(m, 1H), 2.53(dd, *J* = 4.4, 18Hz), 2.18(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.8, 178.3, 134.2, 130.8, 129.3, 127.9, 43.3, 35.5, 34.4, 29.6. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{13}H_{13}ClNO_3$) requires m/z 266.0584, found m/z 266.0575. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8 mL/min]: 5.4min (minor), 6.6min (major), ee = 94%.

1-(4-methoxyphenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7f)



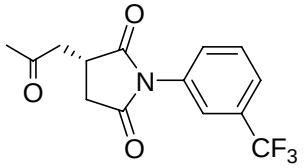
The product was obtained in 96% yield, white solid. Mp 121-125°C; $[\alpha]^{24}_D$ = + 11.0° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.21(d, *J* = 8.8Hz, 2H), 6.97(d, *J* = 8.8Hz, 2H), 3.80 (s, 3H), 3.13-3.08 (m, 1H), 3.05-2.97(m, 3H), 2.50(dd, *J* = 4.8, 18.0Hz), 2.17(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.9, 178.9, 175.8, 159.5, 127.8, 124.9, 114.4, 55.4, 43.3, 35.5, 34.4, 29.6. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{13}H_{13}ClNO_3$) requires m/z 262.1079, found m/z 262.1071. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.6m L/min.]: 14.2min (minor), 15.7min (major), ee = 98%.

1-(3-chlorophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7g)



The product was obtained in 96% yield, white solid. Mp 108-110°C; $[\alpha]^{24}_D$ = +6.2° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.39-7.35(m, 3H), 7.25-7.22(m, 1H), 3.12-3.04(m, 3H), 2.99 (t, *J* = 8.8, 1H), 2.52(dd, *J* = 4.0, 17.2Hz, 1H), 2.17(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.8, 178.2, 175.0, 134.5, 133.4, 130.1, 128.7, 126.9, 124.9, 43.3, 35.5, 34.4, 29.6. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{13}H_{13}ClNO_3$) requires m/z 266.0584, found m/z 266.0584. The enantiomeric excess was determined by HPLC. [AS-H column, 240 nm, hexane: EtOH = 4:1, 0.5mL/min.]: 33.4min (major), 35.9 min (minor), ee = 98%.

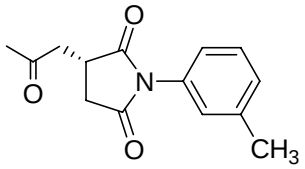
3-(2-oxopropyl)-1-(3-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7h)



The product was obtained in 98% yield, white solid. Mp 96-98°C; $[\alpha]^{23}_D$ = +1.6°(c = 1.00 in CH_2Cl_2); ^{1}H NMR (400

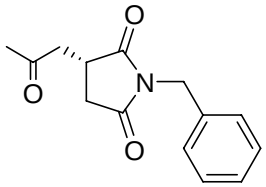
MHz, $CDCl_3$): δ (ppm) 7.66-7.54(m, 4H), 3.15-3.02 (m, 4H), 2.56(d, *J* = 18.0Hz, 1H), 2.19(s,3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.8, 178.2, 175.0, 132.9, 131.5, 130.0, 129.7, 125.2, 132.6, 43.2, 35.5, 34.4, 29.6. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{14}H_{13}F_3NO_3$) requires m/z 300.0848, found m/z 300.0837. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8mL/min.]: 5.8min (minor), 6.2min (major), ee = 96%.

3-(2-oxopropyl)-1-m-tolylpyrrolidine-2,5-dione (7i)



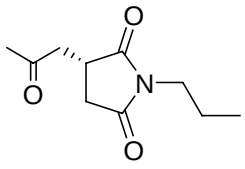
The product was obtained in 97% yield, white solid. Mp 87-90°C; $[\alpha]^{21}_D$ = + 4.8°(c = 1.00 in CH_2Cl_2); ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.32(t, *J* = 8.0Hz, 1H), 7.17(d, *J* = 7.6Hz , 1H), 7.08-7.05(m, 2H), 3.08-2.92 (m, 4H), 2.47(dd, *J* = 4.0, 18.0Hz, 1H), 2.34(s, 3H), 2.12(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.9, 178.7, 175.6, 139.1, 132.2, 129.4, 128.9, 127.2, 123.7, 43.3, 35.5, 34.5, 29.6, 21.3. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{14}H_{16}NO_3$) requires m/z 246.1130, found m/z 246.1131. The enantiomeric excess was determined by HPLC. [AS-H column, 240 nm, hexane: EtOH = 4:1, 0.6mL/min.]: 24.1min (major), 25.9min (minor), ee = 96%.

1-benzyl-3-(2-oxopropyl) pyrrolidine-2,5-dione (7j)



The product was obtained in 97% yield, white solid. Mp 89-91°C; $[\alpha]^{24}_D$ = -3.4° (c = 1.01 in CH_2Cl_2); ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.39-7.25 (m, 5H), 4.68(q, *J* = 14.4, 2H), 3.06-3.02(m, 2H), 2.95-2.83(m, 2H), 2.38 (dd, *J* = 4.8, 18.0Hz), 2.17(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.3, 179.1, 175.9, 135.8, 128.6, 128.5, 127.8, 43.3, 42.4, 35.5, 34.5, 29.7. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{14}H_{16}NO_3$) requires m/z 246.1130, found m/z 246.1128. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8mL/min.]: 8.4min (major), 9.8min (minor), ee = 99%.

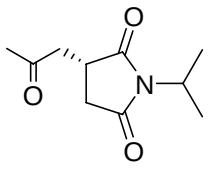
3-(2-oxopropyl)-1-propylpyrrolidine-2, 5-dione (7k)



The product was obtained in 94% yield, colorless oil. $[\alpha]^{25}_D$ = -2.8° (c = 1.01 in CH_2Cl_2); ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) 3.44(t, *J* = 7.2Hz, 2H), 3.03-2.95 (m, 2H), 2.92-2.83 (m, 2H), 2.34(dd, *J* = 4.8, 17.6Hz, 1H), 2.16(s, 3H), 1.64- 1.54 (m, 2H), 0.89(t, *J* = 7.6Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.4, 179.4, 176.4, 43.3, 40.5, 35.4, 34.4, 29.7, 20.9, 11.2. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{10}H_{16}NO_3$) requires m/z 198.1130, found m/z 198.1139. The enantiomeric excess was determined by GC. [Chirasil G-TA column, 1.0 mL/min, 10°C/min from 70°C to 160°C then hold for 35 min.]: 25.4 min (minor), 26.9 min (major), ee = 99%.

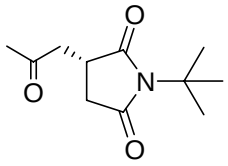
1-isopropyl-3-(2-oxopropyl)pyrrolidine-2,5-dione (7l)

The product was obtained in 92% yield, colorless oil. $[\alpha]^{25}_D$ = +6.4° (c = 0.99 in



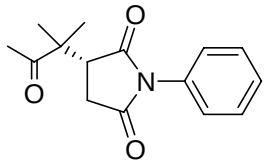
CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 4.35(qn, *J* = 6.8Hz, 1Hz), 3.02-2.86(m, 3H), 2.85-2.78(m, 1Hz), 2.29(dd, *J* = 4.4, 18.0Hz), 2.16(s, 3H), 1.37(d, *J* = 7.2Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.5, 179.4, 176.3, 43.7, 43.4, 35.1, 34.3, 29.7, 19.0, 18.9. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{10}H_{16}NO_3$) requires m/z 198.1130, found m/z 198.1131. The enantiomeric excess was determined by GC. [Chirasil G-TA column, 1.0 mL/min, 10°C/min from 70°C to 160°C then hold for 35 min.]: 20.6min (minor), 21.4min (major), ee = 92%.

3-(2-oxopropyl)-1-phenylpyrrolidine-2,5-dione (7m)



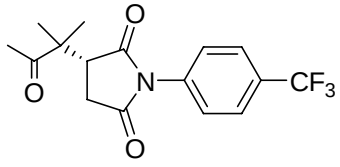
The product was obtained in 67% yield, colorless oil. $[\alpha]^{25}_D$ = +2.0° (c = 1.00 in CH_2Cl_2); ^{1}H-NMR (400 MHz, $CDCl_3$): δ (ppm) 2.99-2.93 (m, 1H), 2.90-2.81(m, 2H), 2.80-2.73(m, 1H), 2.24(dd, *J* = 5.2, 12.4Hz, 1H), 2.16(s, 3H), 1.57(s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 205.6, 180.4, 177.2, 58.3, 43.6, 35.4, 34.9, 29.8, 28.2. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{11}H_{18}NO_3$) requires m/z 212.1287, found m/z 212.1279. The enantiomeric excess was determined by GC. [Chirasil G-TA column, 1.0 mL/min, 10°C/min from 70°C to 150°C then hold for 40 min.]: 27.8min (minor), 29.0min (major), ee = 99%.

3-(2-methyl-3-oxobutan-2-yl)-1-phenylpyrrolidine-2,5-dione (7n)



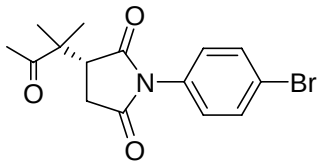
The product was obtained in 93% yield, white solid. Mp 117-119°C; $[\alpha]^{24}_D$ = +6.4° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.47-7.43(m, 2H), 7.39-7.35 (m, 1H), 7.30-7.28(m, 2H), 3.03-2.99(m, 1H), 2.92-2.85 (m, 1H), 2.58(dd, *J* = 5.2, 18.0Hz, 1H), 2.17(s, 3H), 1.46(s, 3H) , 1.31(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 211.4, 177.6, 175.1, 132.3, 129.1, 128.5, 126.7, 50.7, 46.9, 32.7, 25.0, 23.9, 23.1. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{15}H_{17}NO_3$) requires m/z 260.1287, found m/z 260.1289. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, DCM, 0.8mL/min.]: 7.6min (major), 10.1min (minor), ee = 99%.

3-(2-methyl-3-oxobutan-2-yl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7o)



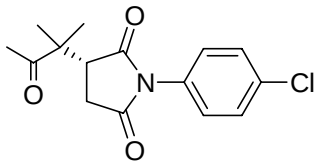
The product was obtained in 73% yield, white solid. Mp 149-152°C; $[\alpha]^{24}_D$ = +2.5° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.73(d, *J* = 8.0Hz, 2H), 7.49(d, *J* = 8.0Hz, 2H), 2.99-2.89(m, 2H), 2.66- 2.56(m, 1H), 2.19(s, 3H), 1.55(s, 3H), 1.35(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 211.5, 177.2, 174.6, 135.4, 130.3, 126.9, 126.2, 126.1, 126.0, 51.1, 47.1, 32.8, 24.8, 24.3, 23.6. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{16}H_{17}F_3NO_3$) requires m/z 328.1161, found m/z 328.1157. The enantiomeric excess was determined by HPLC. [IA column, 240 nm, DCM, 0.6mL/min.]: 5.5min (minor), 5.9min (major), ee = 96%.

1-(4-bromophenyl)-3-(2-methyl-3-oxobutan-2-yl)pyrrolidine-2,5-dione (7p)



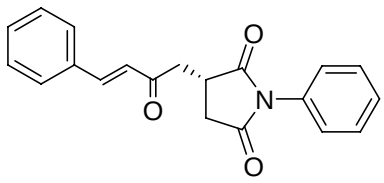
The product was obtained in 99% yield, white solid. Mp 111-113°C; $[\alpha]^{24}_D$ = -1.5° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.58 (d, *J*=8.4Hz, 2H), 7.20(d, *J* = 8.4Hz, 2H), 2.97-2.85(m, 2H), 2.56(dd, *J* = 4.8, 17.2Hz), 2.17(s, 3H), 1.50(s, 3H), 1.32(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 211.5, 177.3, 174.8, 132.2, 131.3, 128.3, 122.2, 50.9, 47.0, 32.7, 24.9, 24.2, 23.4. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{15}H_{17}BrNO_3$) requires m/z 338.0392, found m/z 338.0400. The enantiomeric excess was determined by HPLC. [IA column, 240 nm, DCM, 0.6mL/min.]: 5.8min (minor), 6.5min (major), ee = 99%.

1-(4-chlorophenyl)-3-(2-methyl-3-oxobutan-2-yl)pyrrolidine-2,5-dione (7q)



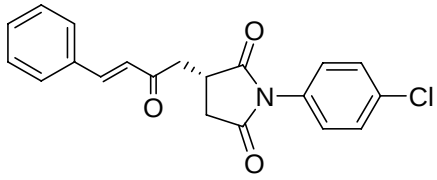
The product was obtained in 98% yield, white solid. Mp 109-111°C; $[\alpha]^{24}_D$ = +3.5° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.43(d, *J* = 8.4Hz, 2H), 7.27(d, *J* = 8.4Hz, 2H), 2.99-2.87(m, 2H), 2.58(dd, *J* = 4.8, 17.6Hz), 2.19(s, 3H), 1.52(s, 3H), 1.34(s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 211.5, 177.3, 174.8, 134.2, 1308, 129.2, 128.0, 50.9, 47.0, 32.7, 24.9, 24.2, 23.4. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{15}H_{17}ClNO_3$) requires m/z 294.0897, found m/z 294.0884. The enantiomeric excess was determined by HPLC. [IA column, 240 nm, DCM, 0.6mL/min.]: 5.7min (minor), 6.5min (major), ee = 98%.

(*E*)-3-(2-oxo-4-phenylbut-3-enyl)-1-phenylpyrrolidine-2, 5-dione (7r)



The product was obtained in 72% yield, yellow solid. Mp 131-134°C; $[\alpha]^{22}_D$ = 14.3° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.63(d, *J* = 16.4Hz), 7.57-7.56(m, 2H), 7.53-7.49(m, 1H), 7.44-7.42(m, 4H), 7.39-7.37(m, 2H), 6.77(d, *J* = 16.0Hz, 1H), 3.77-3.30(m, 3H), 3.14(q, *J* = 9.2Hz, 1H), 2.65(dd, *J* = 5.2, 13.2Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 196.8, 178.8, 175.7, 143.9, 134.0, 132.3, 130.9, 129.2, 129.0, 128.6, 128.5, 126.7, 125.2, 40.8, 35.8, 34.7. HRMS (EI): exact mass calculated for $[M]^+$ ($C_{20}H_{17}NO_3$) requires m/z 319.1208, found m/z 319.1205. The enantiomeric excess was determined by HPLC. [AS-H column, 240 nm, hexane: EtOH = 4:1, 0.8mL/min.]: 33.0min (minor), 36.7min (major), ee = 94%.

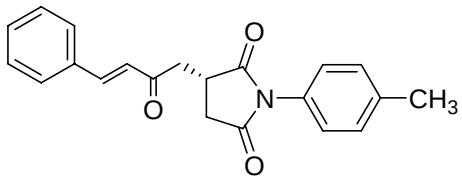
(*E*)-1-(4-chlorophenyl)-3-(2-oxo-4-phenylbut-3-enyl) pyrrolidine-2, 5-dione (7s)



The product was obtained in 62% yield, yellow solid. Mp 155-159°C; $[\alpha]^{22}_D$ = +15.8° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.63(d, *J* = 16.4Hz, 1H), 7.59-7.56(m, 2H), 7.49-7.43(m, 5H), 7.36-7.34(m, 2H), 6.77(d, *J* =

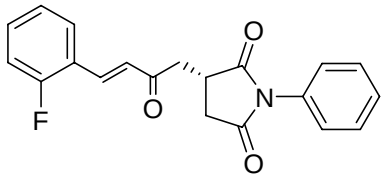
16.4Hz, 1H), 3.39-3.37(m, 2H), 3.32-3.28(m, 1H), 3.13(apparent q, *J* = 8.8Hz), 2.65(dd, *J* = 5.2, 18.4Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 196.7, 178.5, 175.4, 144.2, 134.4, 133.9, 131.0, 130.8, 129.1, 128.5, 127.9, 125.0, 40.8, 35.8, 34.6. HRMS (EI): exact mass calculated for $[M]^+$ ($C_{20}H_{16}ClNO_3$) requires m/z 353.0819, found m/z 353.0818. The enantiomeric excess was determined by HPLC. The enantiomeric excess was determined by HPLC. [IC column, 240 nm, hexane: EtOH = 7:3, 0.8mL/min.]: 15.9min (minor), 17.4min (major), ee = 98%.

(*E*)-3-(2-oxo-4-phenylbut-3-enyl)-1-p-tolylpyrrolidine-2,5-dione (7t)



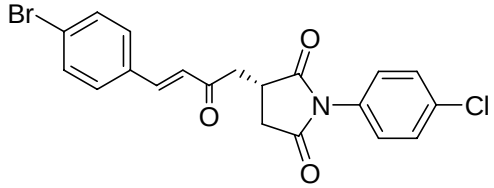
The product was obtained in 62% yield, white solid. Mp 124-128°C; $[\alpha]^{22}_D$ = +14.6° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.63(d, *J* = 16.4Hz, 1H), 7.58-7.56 (m, 2H), 7.44-7.42(m, 3H), 7.32-7.24(m, 4H), 6.77 (d, *J* = 16.4Hz, 1H), 3.37-3.34(m, 2H), 3.32-3.29(m, 1H), 3.13(q, *J* = 9.2Hz, 1H), 2.63(dd, *J* = 4.8, 18Hz, 1H), 2.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 196.9, 178.9, 175.9, 143.9, 138.7, 134.1, 130.9, 129.9, 129.7, 129.1, 128.5, 126.5, 125.2, 53.5, 40.8, 35.8, 34.8, 21.2. HRMS (EI): exact mass calculated for $[M]^+$ ($C_{21}H_{19}NO_3$) requires m/z 333.1365, found m/z 333.1367. The enantiomeric excess was determined by HPLC. The enantiomeric excess was determined by HPLC. [AS-H column, 240 nm, hexane: EtOH = 4:1, 0.8mL/min.]: 27.4min (minor), 31.4min (major), ee = 95%.

(*E*)-3-(4-(2-fluorophenyl)-2-oxobut-3-enyl)-1-phenylpyrrolidine-2, 5-dione (7u)



The product was obtained in 76% yield, yellow solid. Mp 145-148°C; $[\alpha]^{23}_D$ = +6.6° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.761(d, *J*= 16.4Hz, 1H), 7.577(td, *J* = 1.2, 7.6Hz, 1H), 7.524-7.471(m, 2H), 7.434-7.371(m, 4H), 7.219-7.114(m, 2H), 6.850(d, *J* = 16.4Hz, 1H), 3.375-3.342(m, 2H), 3.303(qn, *J*=5.2Hz, 1H), 3.131(q, *J* = 9.2Hz, 1H), 2.639(dd, J=5.2, 18.4Hz, 1H), ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 196.9,178.8, 175.7, 162.8, 160.3, 136.4, 132.4, 129.2, 128.6, 127.4, 126.7, 124.7, 122.2, 116.3, 40.9, 35.7, 34.7. HRMS (EI): exact mass calculated for $[M]^+$ ($C_{20}H_{16}FNO_3$) requires m/z 337.1114, found m/z 337.1117. The enantiomeric excess was determined by HPLC. [AS-H column, 240 nm, hexane: EtOH = 4:1, 0.8mL/min.]: 31.2min (major), 35.1min (minor), ee = 97%.

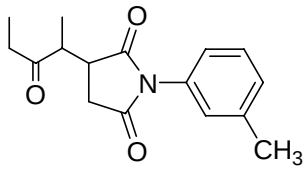
(*E*)-3-(4-(4-bromophenyl)-2-oxobut-3-enyl)-1-(4-chlorophenyl)pyrrolidine-2,5-dione (7v)



The product was obtained in 72% yield, yellow solid. Mp 159-164°C; $[\alpha]^{23}_D$ = +13.0° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.57-7.52(m, 3H), 7.47-7.41(m, 4H), 7.33(d, *J* = 8.8Hz, 2H), 6.74(d,

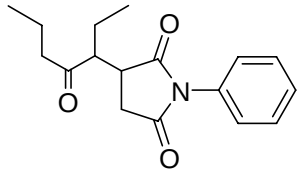
J = 16.0Hz, 1H), 3.36-3.27(m, 3H), 3.11 (apparent q, *J* = 9.2Hz, 1H), 2.63(dd, *J* = 5.6, 18.0Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 196.6, 178.5, 175.3, 142.7, 134.4, 132.9, 132.4, 130.8, 129.8, 129.4, 127.9, 125.4, 40.9, 35.7, 34.6. HRMS (EI): exact mass calculated for $[M]^+$ ($C_{20}H_{15}BrClNO_3$) requires m/z 430.9924, found m/z 430.9922. The enantiomeric excess was determined by HPLC, [IA column, 240 nm, DCM, 0.8mL/min.]: 5.4min (minor), 5.9min (major), ee = 91%.

3-(3-oxopentan-2-yl)-1-m-tolylpyrrolidine-2, 5-dione (9a)



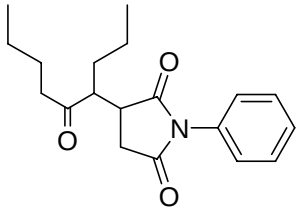
The product was obtained in 96% yield, white solid. Mp 118-122°C; $[\alpha]^{24}_D$ = -3.8° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.33(t, *J* = 7.6Hz, 1Hmaj and 1Hmin), 7.18(d, *J* = 7.6Hz, 1Hmaj and 1Hmin), 7.07 (apparent t, *J* = 9.6Hz, 2Hmaj and 2Hmin), 3.36-3.31(m, 1Hmin), 3.29-3.23(m, 1Hmaj), 3.17-3.10(m, 1Hmin), 2.97-2.78(1Hmaj and 2H min), 2.72-2.41(m, 3Hmaj and 3Hmin), 2.37(s, 3Hmaj and 3Hmin), 1.33(d , *J* = 7.6Hz, 3Hmin), 1.26(d , *J* = 7.6Hz, 3Hmaj), 1.06(t, *J* = 7.2Hz, 3Hmin), 1.02(t, *J* = 7.2Hz, 3Hmaj). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 212.3(min), 211.8(maj), 178.4(min), 178.1(maj), 175.7(min), 175.4(maj), 139.1(maj), 139.0(min), 132.2(min), 131.9(maj), 129.4(maj), 129.3(min), 128.9(maj), 128.9(min), 127.3(min), 127.1(maj), 123.8(min), 123.6(maj), 46.5(maj), 45.6(min), 42.5(min), 41.6(maj), 34.1(maj), 33.6(min), 32.3(maj), 31.8(min), 21.3, 14.8(min), 13.4(maj), 7.7(maj), 7.6(min). HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{16}H_{20}NO_3$) requires m/z 276.1443, found m/z 276.1446. The enantiomeric excess was determined by HPLC, [AS-H column, 240 nm, hexane: IPA = 7:3, 0.6mL/min.]: 28.3min (minor 1), 30.5min (major1), ee = 97%; 34.7min (major 2), 39.4min (minor 2), ee = 99%.

3-(4-oxoheptan-3-yl)-1-phenylpyrrolidine-2, 5-dione (9b)



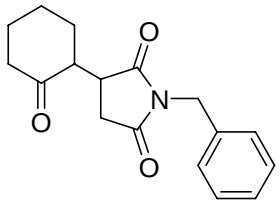
The product was obtained in 96% yield, colorless oil. $[\alpha]^{24}_D$ = -24.8° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.48(t, *J* = 7.6Hz, 2Hmaj and 2Hmin), 7.41-7.38(m, 1Hmaj and 1Hmin), 7.31-7.27(m, 1Hmaj and 1Hmin), 3.32-3.24(m, 1Hmaj and 1Hmin), 3.12-2.98(m, 1Hmaj and 1Hmin), 2.95-2.61(m, 2Hmaj and 2Hmin), 2.57-2.42(m, 2Hmaj and 2Hmin), 2.11-1.01(m, 1Hmaj), 1.91-1.80(m, 1Hmin), 1.71-1.52(m, 3Hmaj and 3Hmin), 1.10(t, *J* = 7.2Hz, 3Hmaj), 1.02(t, *J* = 7.2Hz, 3Hmin), 0.96-0.89(m, 3Hmaj and 3Hmin). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 212.2(maj), 211.5(min),178.9(maj), 177.3(min), 175.7(maj), 175.2(min), 132.3(maj), 132.1(min), 129.0, 128.5(min), 128.4(min), 126.7(maj), 126.5(min), 53.7(min), 52.3(maj), 44.8(min), 43.5(maj), 40.1(min), 39.8(maj), 32.5(min), 31.5(maj), 22.2(maj), 21.8(min), 17.0(maj), 16.9(min), 13.7(min), 13.6(maj), 12.2(maj), 12.1(min). HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{17}H_{22}NO_3$) requires m/z 288.1600, found m/z 288.1599. The enantiomeric excess was determined by HPLC, [AS-H column, 240 nm, hexane: IPA = 7:3, 0.8mL/min.]: 18.8min (major1), 20.6min (major1), ee = 99%; 22.2min (major 2), 30.8min (minor 2), ee = 95%.

3-(5-oxononan-4-yl)-1-phenylpyrrolidine-2, 5-dione (9c)



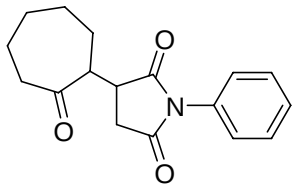
The product was obtained in 80% yield, white solid. Mp 69-73°C; $[\alpha]^{24}_{D}$ = +60.1° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.49-7.27(m, 5Hmaj and 5Hmin), 3.34(qn, *J* = 4.4Hz, 1Hmaj), 3.29-3.24(apparent qn, *J* = 4.4Hz, 1Hmin), 3.11-3.02(m, 1Hmaj and 1Hmin), 2.94(d, *J* = 5.6Hz, 1Hmin), 2.90(d, *J*=6.0Hz, 1Hmaj), 2.84-2.60(m, 1Hmaj and 1Hmin), 2.57-2.41(m, 2Hmaj and 2Hmin), 1.73-1.25(m, 8Hmaj and 8Hmin), 1.03(t, *J* = 7.2Hz, 3Hmaj), 0.96(t, *J*=7.2Hz, 3Hmin), 0.90(t, *J* = 7.2Hz, 3Hmaj and 3Hmin). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 212.4(maj), 211.7(min), 178.9(maj), 177.7(min), 175.7(maj), 175.2(min), 132.3(maj), 132.0(min), 129.1, 128.6(min), 128.5(maj), 126.7(maj), 126.5(min), 52.1(min), 60.5(maj), 42.6(min), 41.3(maj), 40.3(min), 40.1(maj), 32.5(min), 31.5(maj), 31.1(maj), 30.7(min), 25.7(maj), 25.6(min), 22.3(min), 22.2(maj), 14.1(min), 13.9(maj), 13.9(min), 13.8(maj). HRMS (EI): exact mass calculated for $[M]^+$ ($C_{19}H_{25}NO_3$) requires m/z 315.1834, found m/z 315.1833. The enantiomeric excess was determined by HPLC, [AS-H column, 240 nm, hexane: EtOH = 7:3, 0.6mL/min.]: 8.7min (major1), 9.28min (major1), ee = 99%; 10.0min (major 2), 12.4min (minor 2), ee = 94%.

1-benzyl-3-(2-oxocyclohexyl) pyrrolidine-2,5-dione (9d)



The product was obtained in 96% yield, white solid. Mp 76-80°C; $[\alpha]^{24}_{D}$ = +1.2° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.39-7.25(m, 5Hmaj and 5Hmin), 4.74-4.60(m, 2Hmaj and 2Hmin), 3.15-3.08(m, 1Hmaj and 1Hmin), 2.97-2.63(m, 2Hmaj and 2Hmin), 2.52-2.26(m, 3Hmaj and 3Hmin), 2.14-1.48(m, 6Hmaj and 6Hmin). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 209.9(maj), 209.8(min), 179.1(maj), 178.8(min), 176.2, 135.9, 128.5, 128.4(maj), 128.3(min), 127.7(maj), 127.5(min), 51.3(maj), 50.1(min), 42.3(maj), 41.8(maj), 41.7(min), 39.9, 32.7, 31.9, 31.8, 29.4, 27.1(maj), 27.0(min), 24.9(maj). HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{17}H_{20}NO_3$) requires m/z 186.1443, found m/z 286.1441. The enantiomeric excess was determined by HPLC, [IA column, 240 nm, DCM, 0.6mL/min.]: 5.9min (minor1), 7.9min (major1), ee = 93%; 6.4min (minor 2), 7.1min (major 2), ee = 94%.

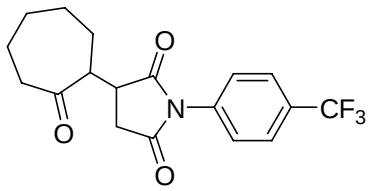
3-(2-oxocycloheptyl)-1-phenylpyrrolidine-2, 5-dione (9e)



The product was obtained in 93% yield, white solid. Mp 132-137°C; $[\alpha]^{25}_{D}$ = +21.2° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.48-7.29(m, 5Hmaj and 5Hmin), 3.45-2.80(m, 3Hmaj and 3Hmin), 2.73-2.36(m, 3Hmaj and 3Hmin), 2.02-1.98(m, 2Hmaj and 2Hmin), 1.89-1.26(m, 6Hmaj and 6Hmin). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 213.7(maj), 213.0(min), 178.5, 175.8(min), 175.7(maj), 132.4(min), 132.2(maj), 129.1,

128.5(maj), 128.4(min), 126.7(min), 126.6(maj), 52.8(maj), 51.7(min), 43.6(min), 43.4(maj), 43.3(min), 42.2(maj), 32.9(maj), 31.8(min), 29.9(maj), 29.8(min), 29.7(min), 29.5(maj), 29.2(min), 28.7(maj), 23.3. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{17}H_{20}NO_3$) requires m/z 186.1443, found m/z 286.1447. The enantiomeric excess was determined by HPLC, [AS-H column, 240 nm, hexane: IPA = 7:3, 0.6mL/min.]: 45.1min (major1), 57.1min (minor1), ee = 96%; 50.7min (minor 2), 61.3min (major 2), ee = 99%.

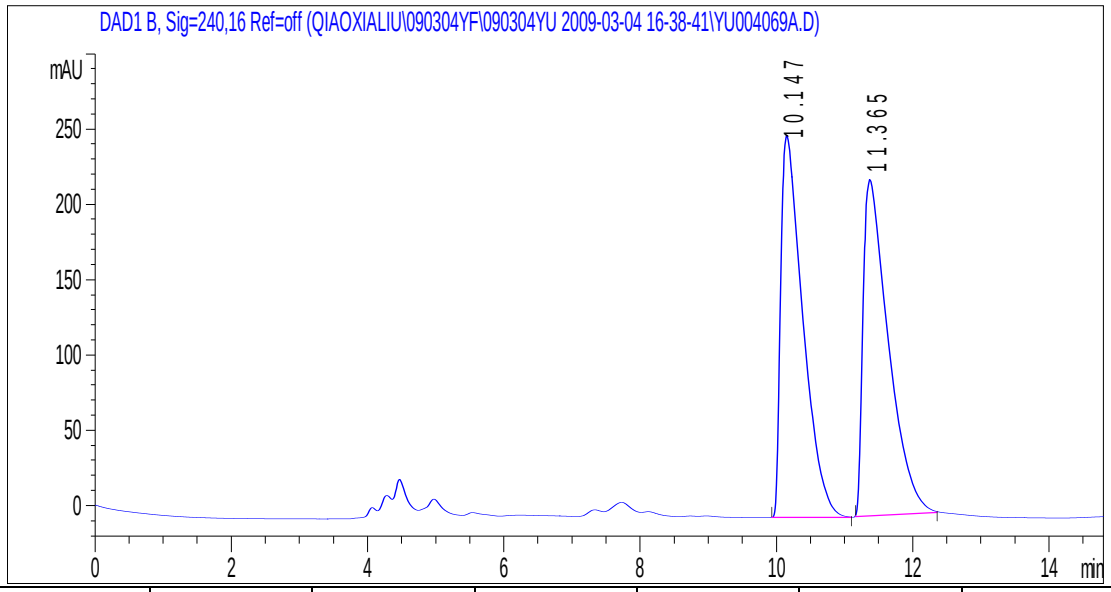
3-(2-oxocycloheptyl)-1-(4-(trifluoromethyl) phenyl)pyrrolidine-2,5-dione (9f)



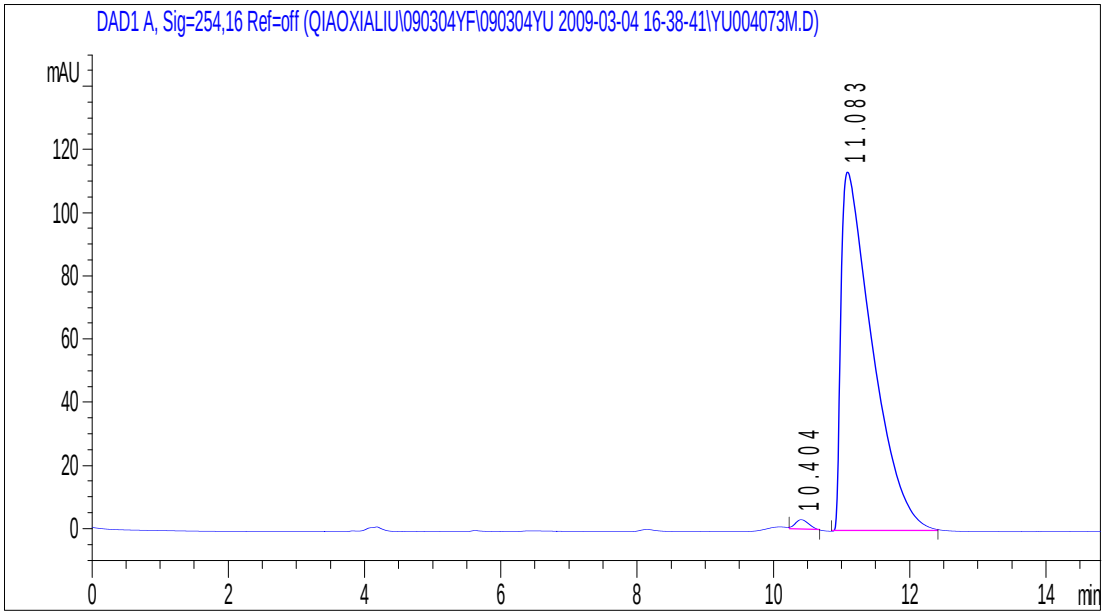
The product was obtained in 93% yield, white solid. Mp 134-138°C; $[\alpha]^{25}_D$ = +15.2° (c = 1.00 in CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) 7.72(d, *J* = 8.4Hz, 2Hmaj and 2Hmin), 7.49(d, *J* = 7.6Hz, 2Hmaj and 2Hmin), 3.47-2.81(m, 3Hmaj and 3Hmin), 3.23-2.35(m, 3Hmaj and 3Hmin), 2.02-1.98(m, 2Hmaj and 2Hmin), 1.85-1.25(m, 3Hmaj and 3Hmin). ^{13}C NMR (100 MHz, $CDCl_3$): δ (ppm) 213.8(min), 213.0(maj), 178.0(min), 177.9(maj), 175.3(min), 175.1(maj), 135.6(min), 135.4(maj), 130.2, 127.0(min), 126.9(maj), 126.1, 125.1, 122.4, 53.3(maj), 51.9(min), 43.5(min), 43.34(maj), 43.30(min), 42.4(maj), 33.3(maj), 31.8(min), 29.9(maj), 29.8(min), 29.7(min), 29.4(maj), 29.3(maj), 29.2(min), 23.3(min), 23.2(maj). HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{18}H_{19}F_3NO_3$) requires m/z 354.1317, found m/z 354.1314. The enantiomeric excess was determined by HPLC, [AS-H column, 240 nm, hexane: EtOH = 8:1, 0.5mL/min.]: 33.1min (major1), 40.4min (minor1), ee = 92%; 34.9min (minor 2), 44.9min (major 2), ee = 96%.

D: HPLC Analysis of Michael Addition Products

3-(2-oxopropyl)-1-phenylpyrrolidine-2,5-dione (7a)

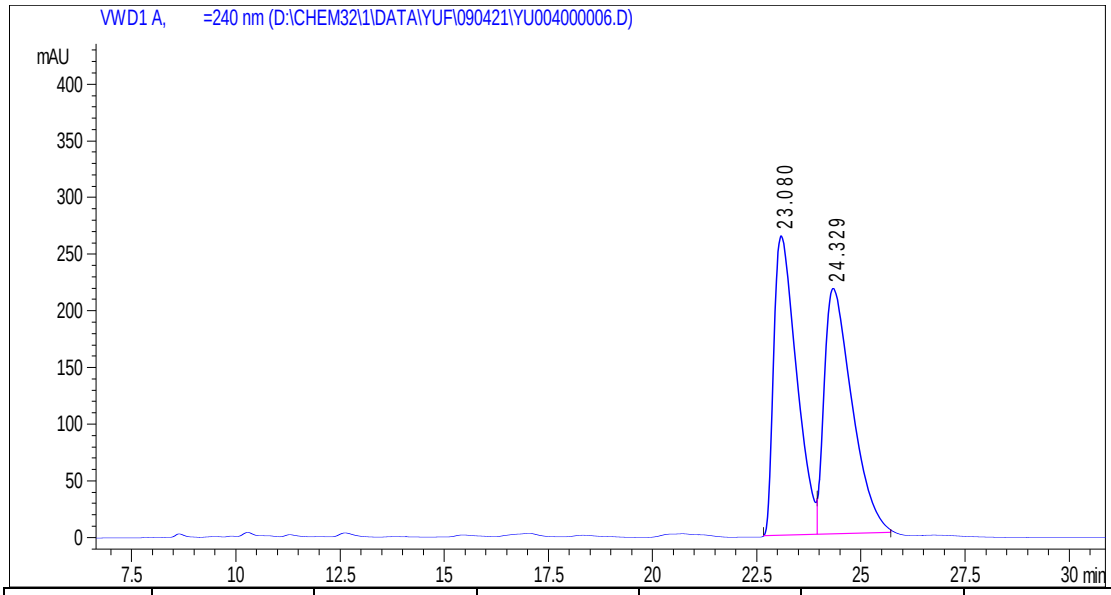


#	Time	Area	Height	Width	Symmetry	Area/%
1	10.147	5732.2	254.1	0.376	0.36	49.896
2	11.365	5756	223.5	0.4292	0.345	50.104

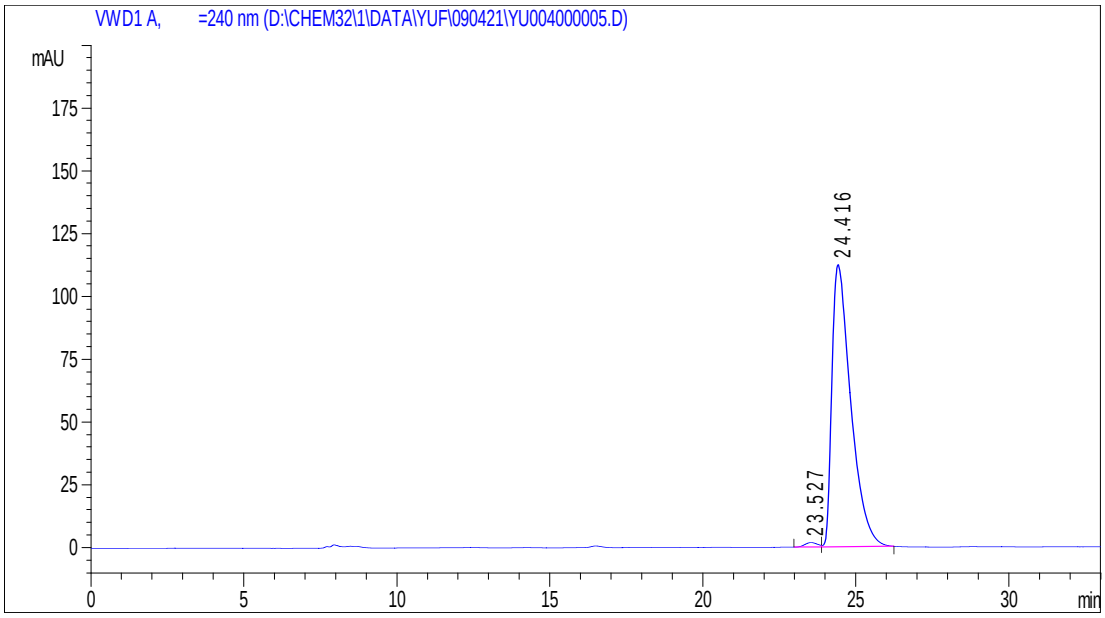


#	Time	Area	Height	Width	Symmetry	Area/%
1	10.404	46.6	3.2	0.2426	0.754	1.265
2	11.083	3637	113.7	0.4671	0.24	98.735

3-(2-oxopropyl)-1-p-tolylpyrrolidine-2,5-dione (7b)

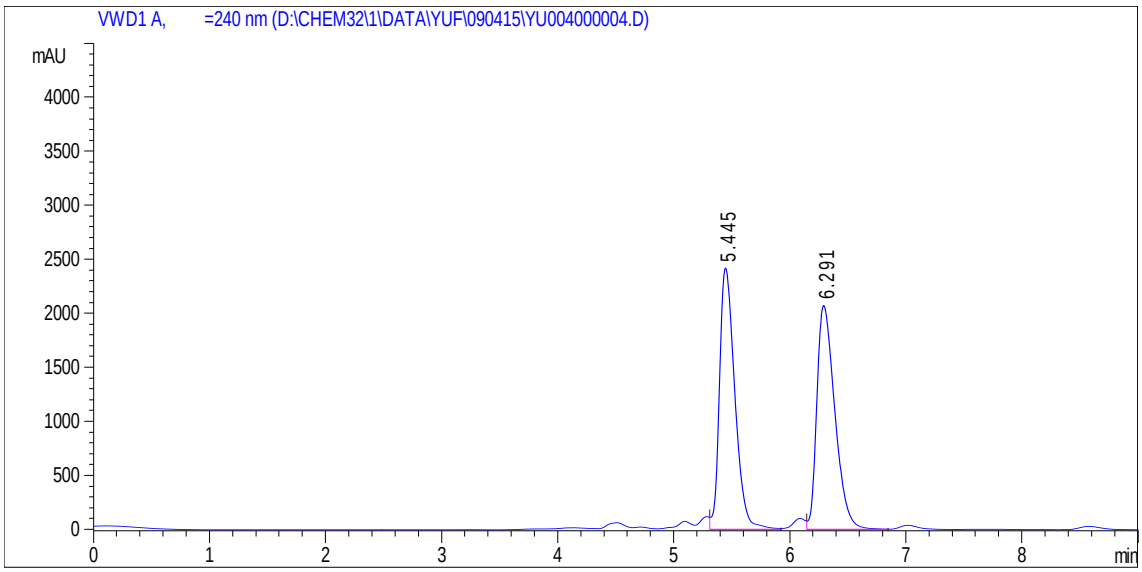


#	Time	Area	Height	Width	Symmetry	Area/%
1	23.08	10198.6	265.9	0.6393	0	49.599
2	24.329	10363.5	219.1	0.7883	0.448	50.401

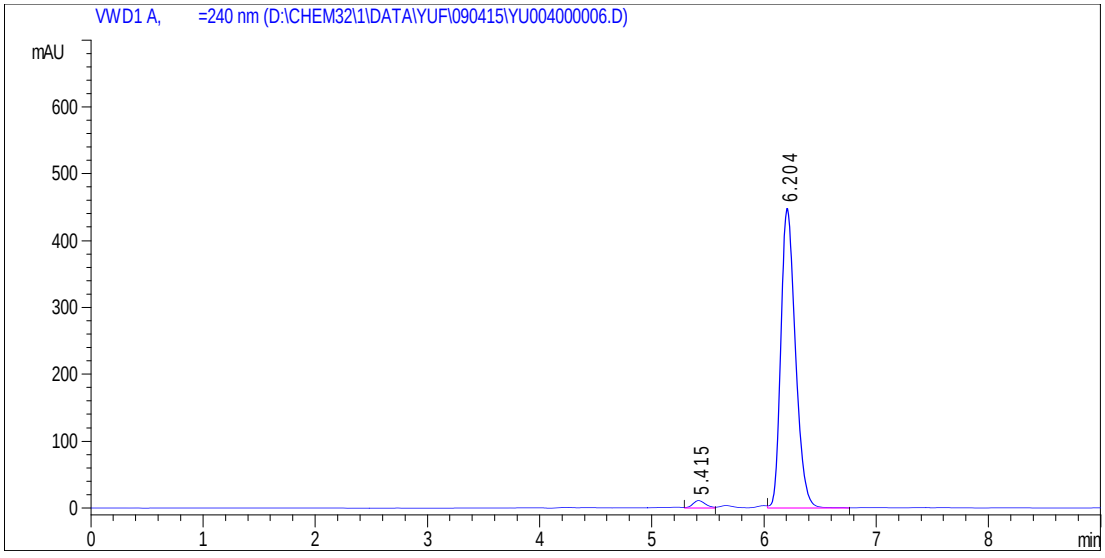


#	Time	Area	Height	Width	Symmetry	Area/%
1	23.527	51.5	1.8	0.4374	0.925	1.057
2	24.416	4820.5	112.4	0.6403	0.457	98.943

3-(2-oxopropyl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7c)

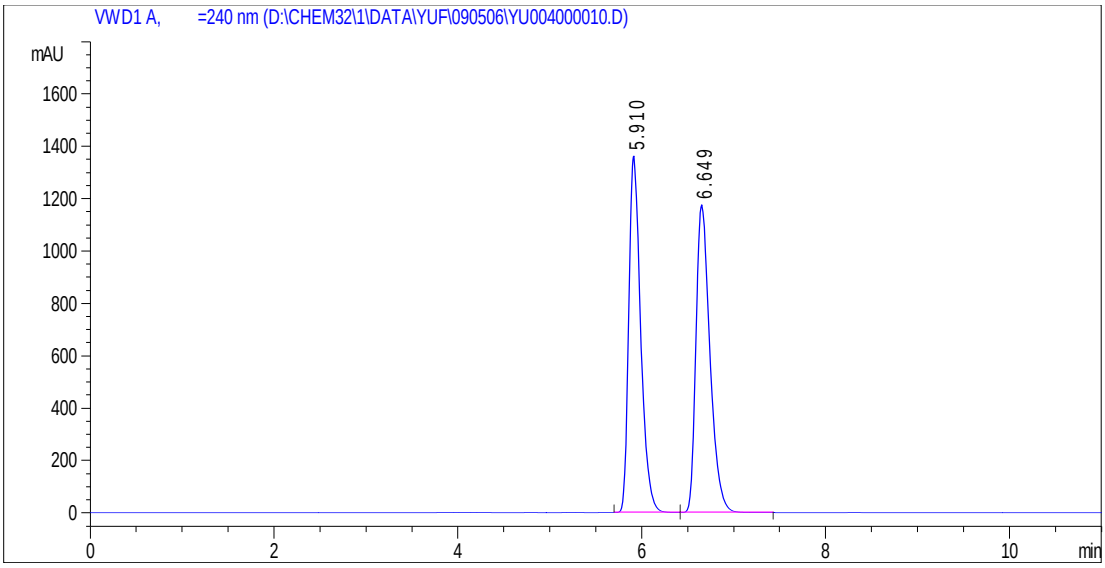


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.445	22340.9	2424.3	0.1425	0.608	49.879
2	6.291	22449.5	2076.6	0.1669	0.579	50.121

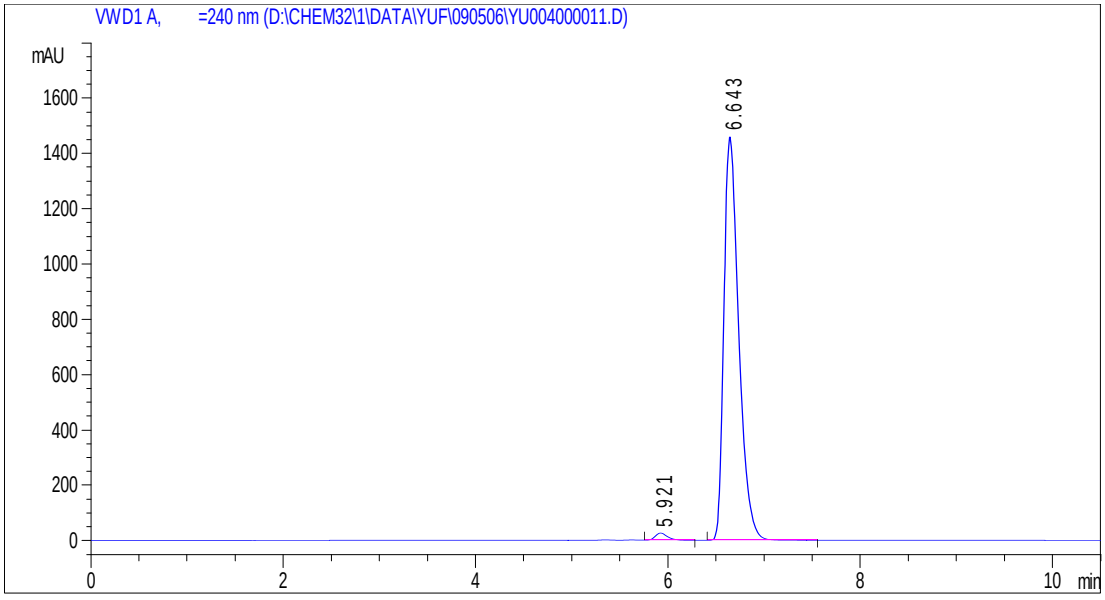


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.415	88.6	11.3	0.1195	0.786	2.147
2	6.204	4040.4	448.5	0.14	0.717	97.853

1-(4-bromophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7d)

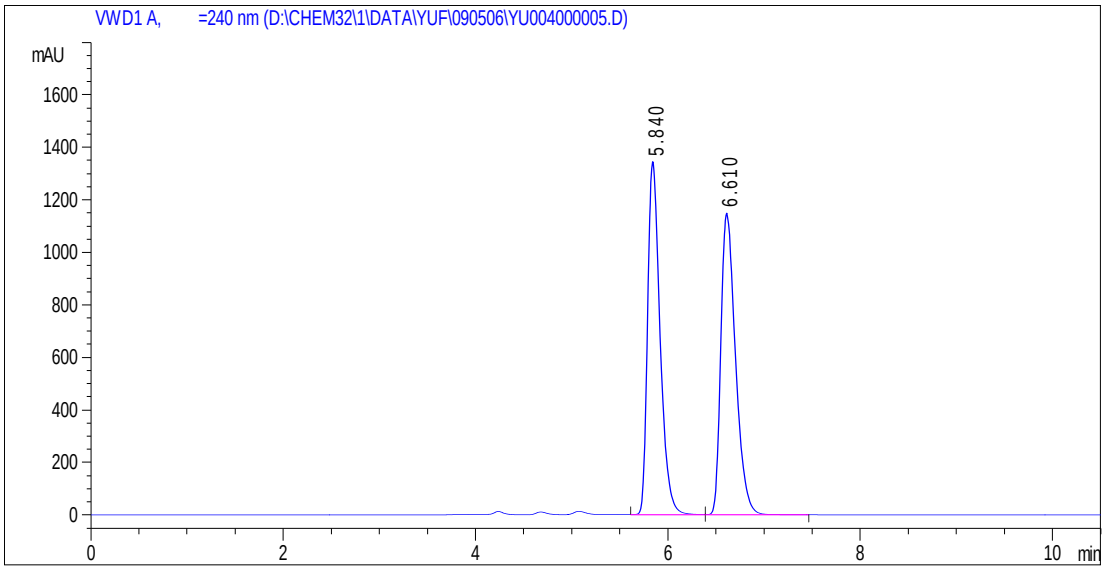


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.91	12248.4	1362.5	0.1369	0.667	49.641
2	6.649	12425.5	1175.8	0.1626	0.647	50.359

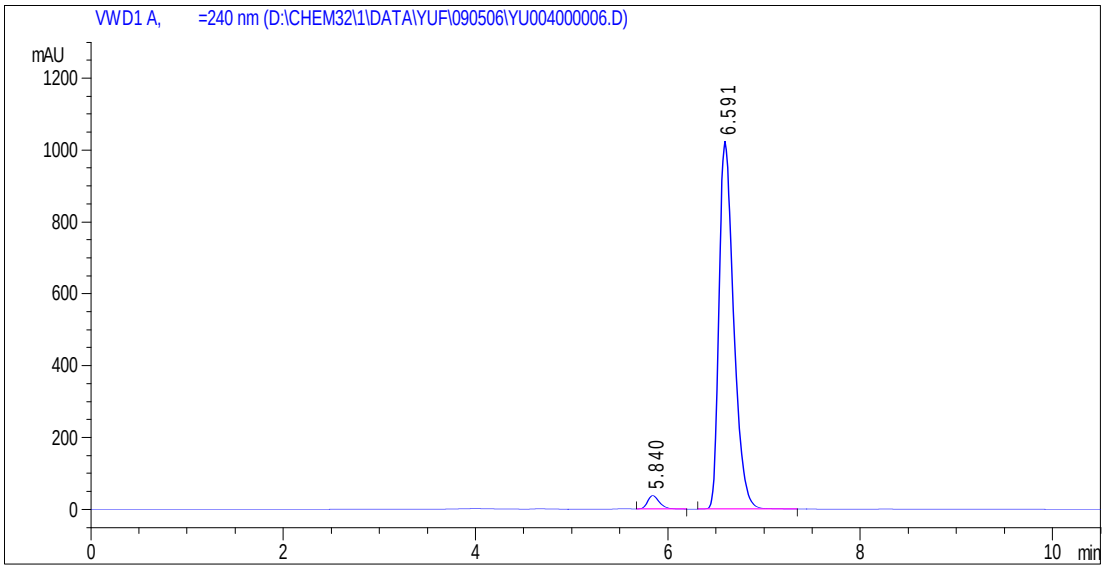


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.921	231.6	26.2	0.1336	0.729	1.457
2	6.643	15659.6	1459.2	0.1645	0.625	98.543

1-(4-chlorophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7e)

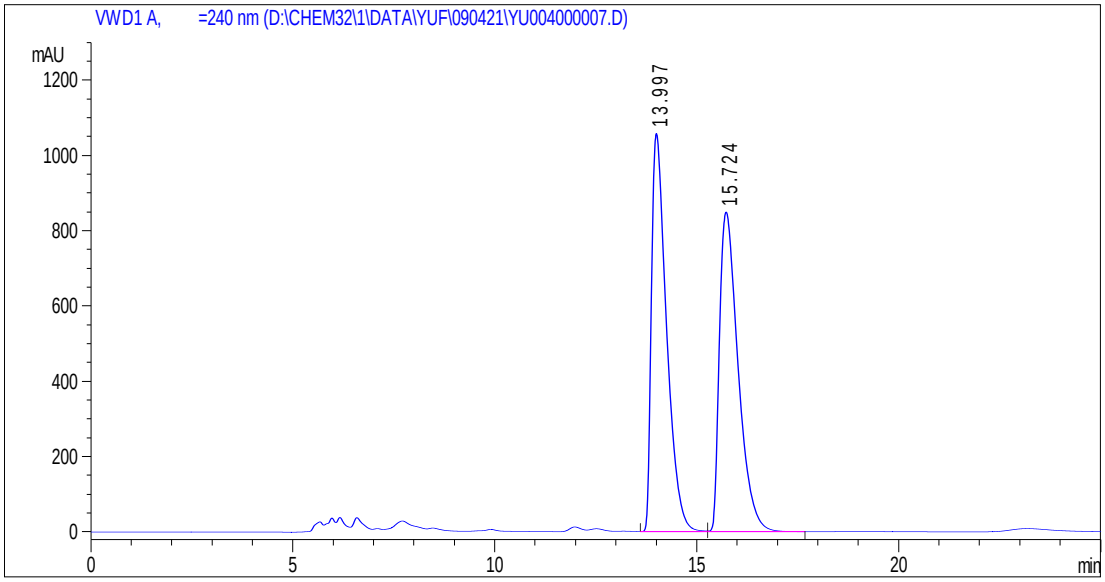


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.84	12106.5	1345.5	0.137	0.643	49.908
2	6.61	12151	1148.9	0.1627	0.629	50.092

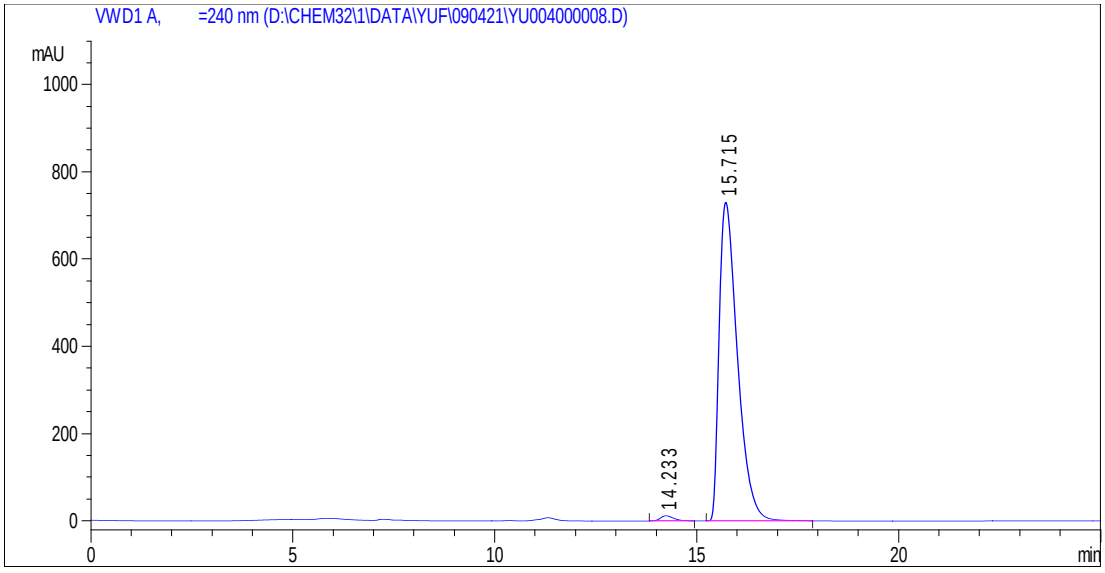


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.84	322.7	37.8	0.1301	0.731	2.901
2	6.591	10800.5	1023.7	0.1624	0.638	97.099

1-(4-methoxyphenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7f)



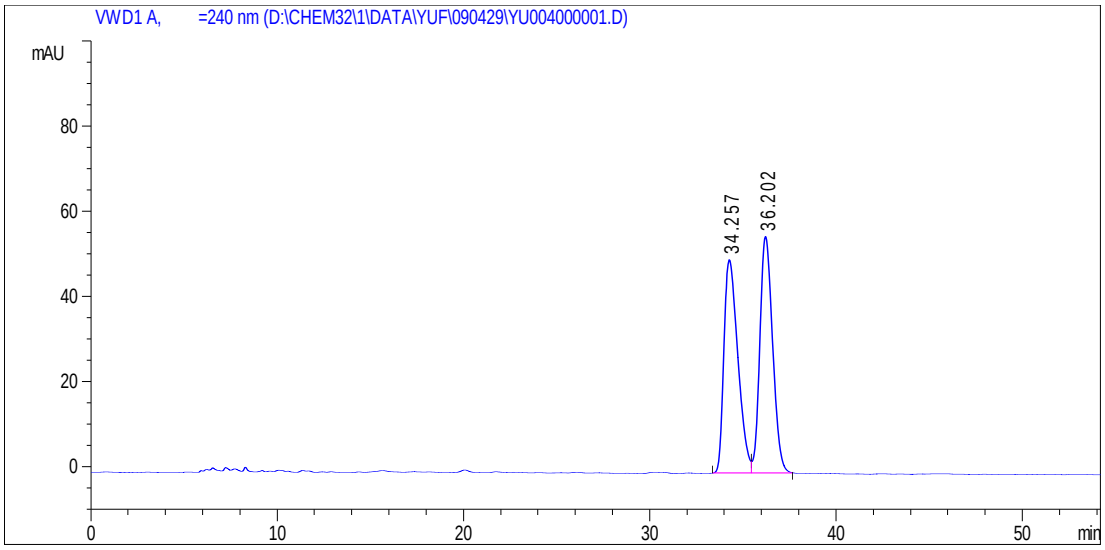
#	Time	Area	Height	Width	Symmetry	Area/%
1	13.997	27552.3	1058.3	0.3882	0.452	50.265
2	15.724	27261.5	849.6	0.4908	0.516	49.735



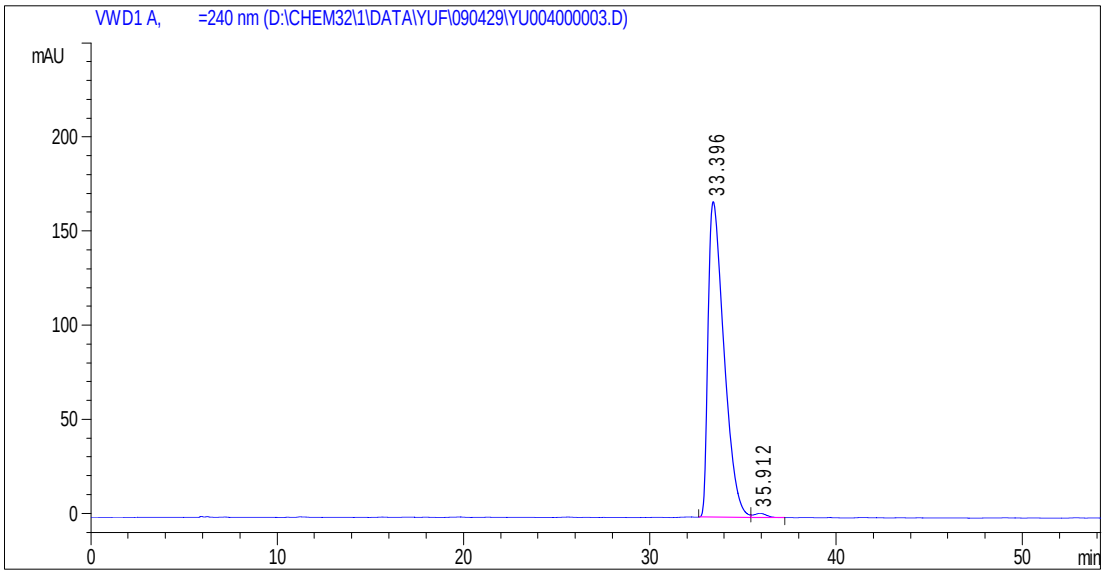
#	Time	Area	Height	Width	Symmetry	Area/%
1	14.233	272	12	0.348	0.679	1.170

2	15.715	22986.8	730.4	0.4851	0.522	98.830

1-(3-chlorophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7g)

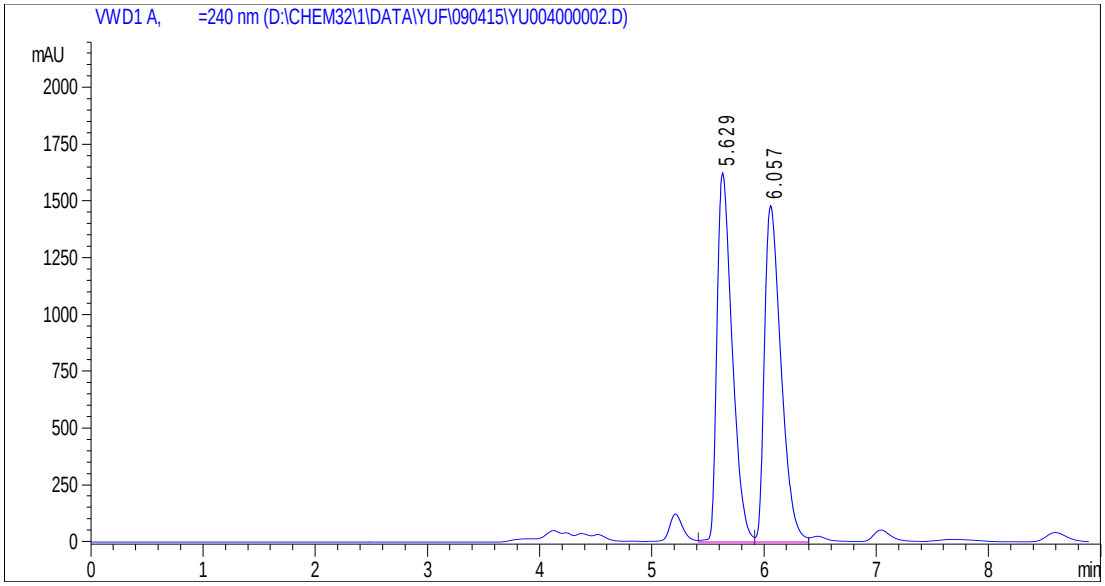


#	Time	Area	Height	Width	Symmetry	Area/%
1	34.257	2615.4	50.1	0.7862	0.595	49.657
2	36.202	2651.6	55.6	0.7431	0.76	50.343

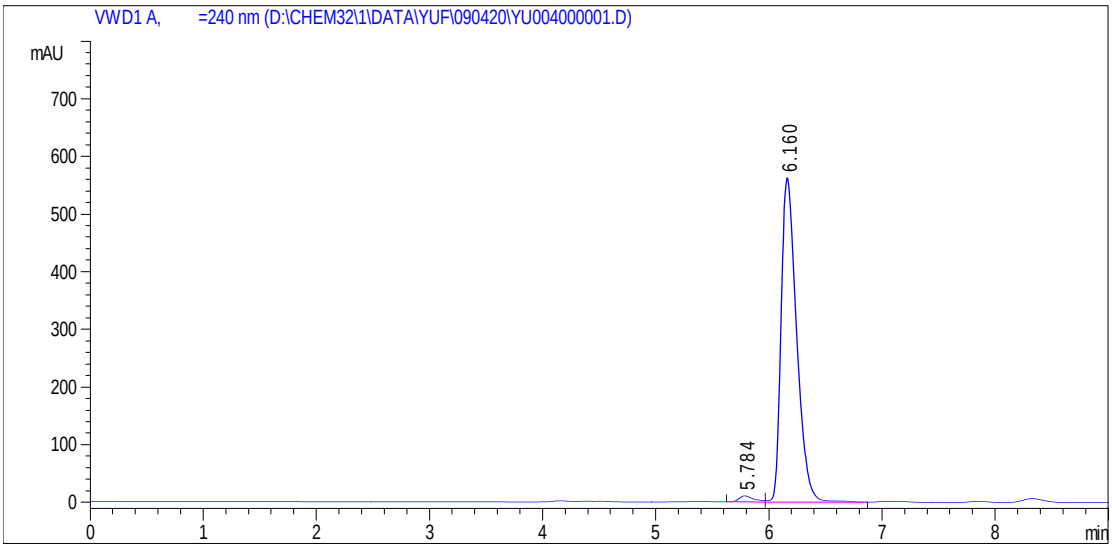


#	Time	Area	Height	Width	Symmetry	Area/%
1	33.396	9929.5	167.7	0.8728	0.447	98.993
2	35.912	101	2.2	0.6205	0.914	1.007

3-(2-oxopropyl)-1-(3-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7h)

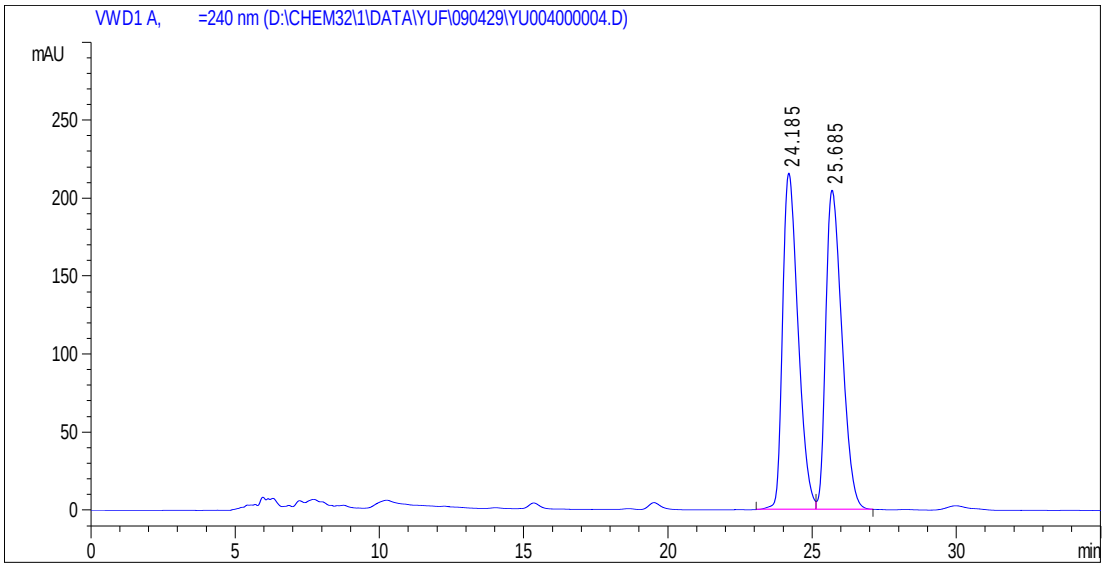


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.629	15307.1	1627.1	0.1447	0.551	50.090
2	6.057	15252.3	1482.4	0.1579	0.545	49.910

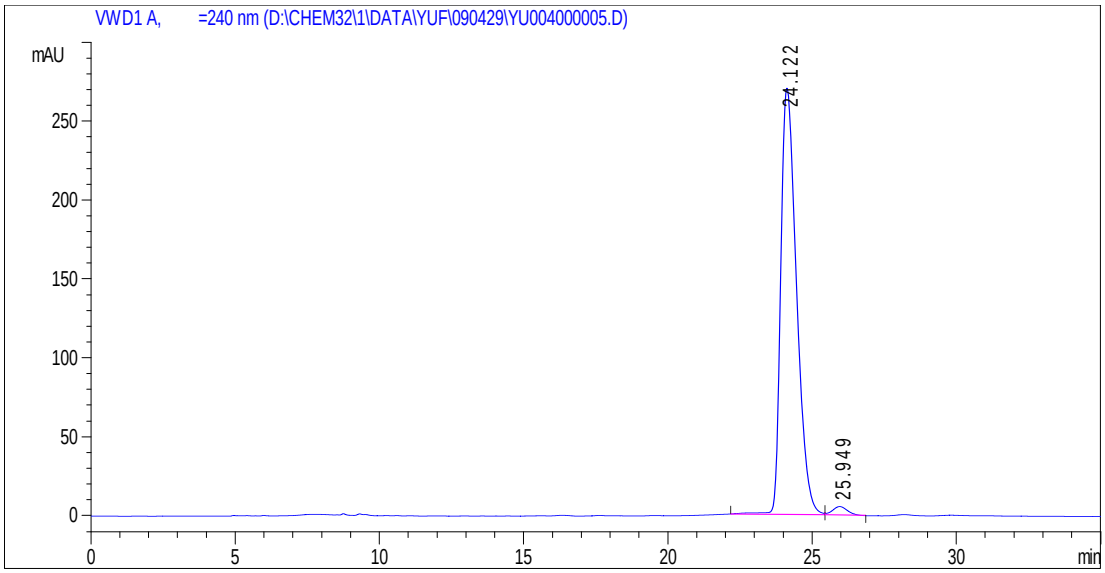


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.784	102.9	11	0.1372	0.601	1.880
2	6.16	5370.2	563	0.1462	0.595	98.120

3-(2-oxopropyl)-1-m-tolylpyrrolidine-2,5-dione (7i)

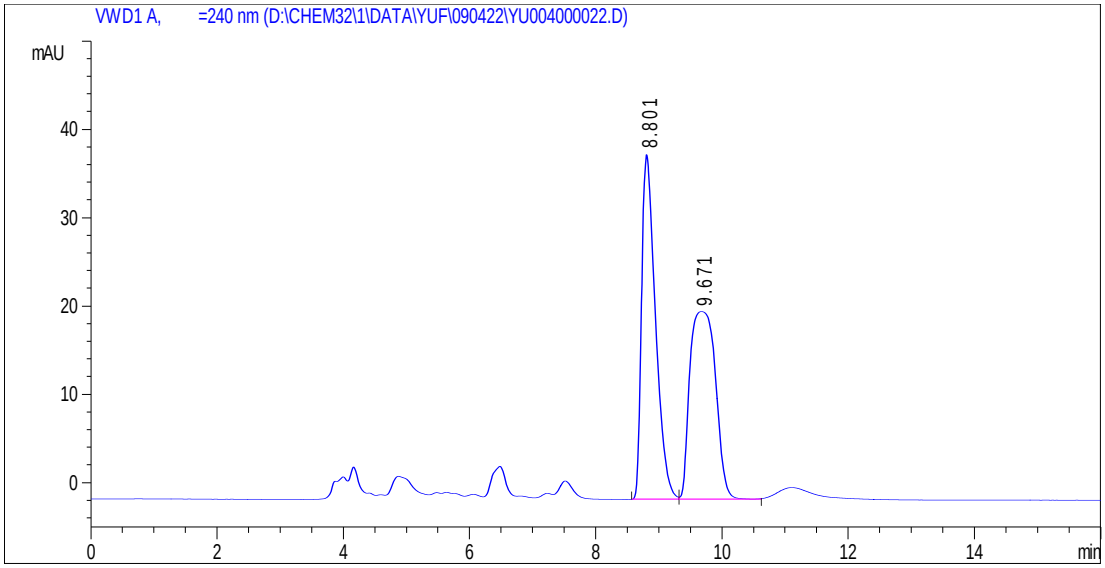


#	Time	Area	Height	Width	Symmetry	Area/%
1	24.185	8055.4	215.7	0.5785	0.635	50.190
2	25.685	7994.6	204.7	0.6101	0.605	49.810

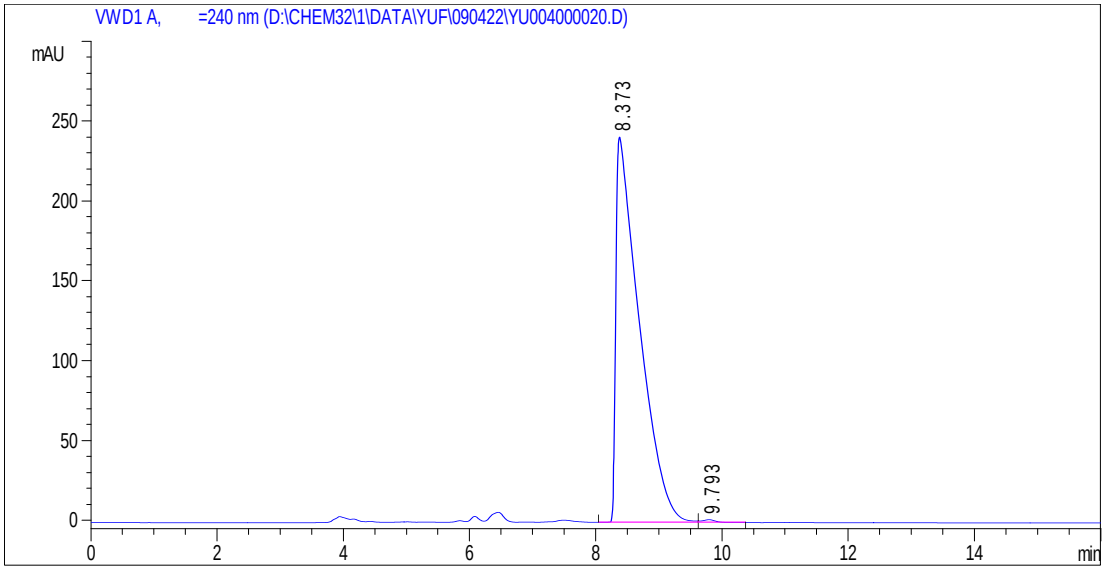


#	Time	Area	Height	Width	Symmetry	Area/%
1	24.122	10291.7	270.4	0.5897	0.617	97.984
2	25.949	211.8	5.7	0.5724	0.866	2.016

1-benzyl-3-(2-oxopropyl)pyrrolidine-2,5-dione (7j)

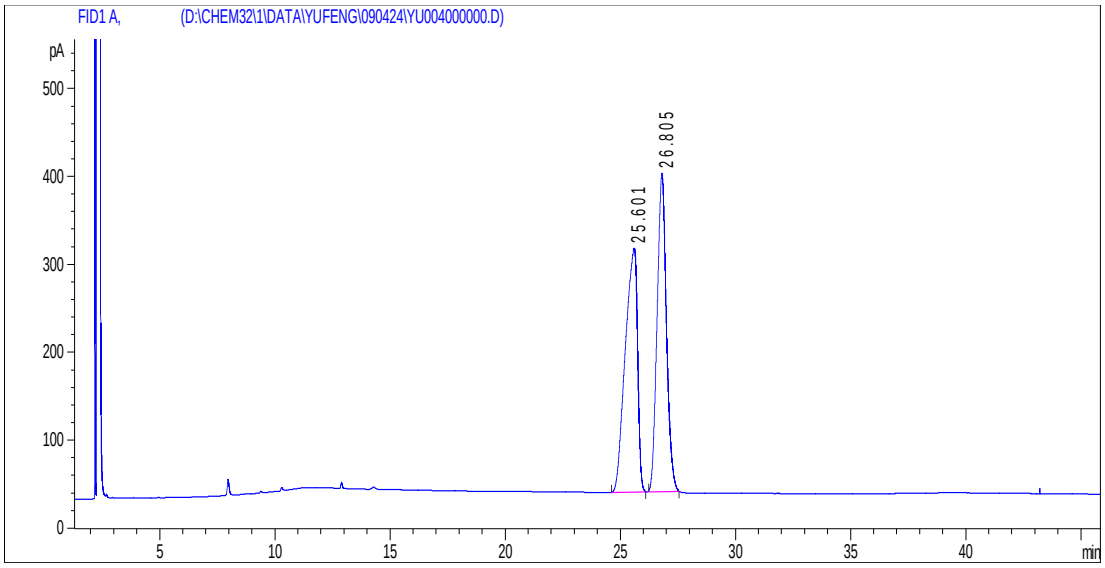


#	Time	Area	Height	Width	Symmetry	Area/%
1	8.801	598	39	0.2341	0.513	49.806
2	9.671	602.7	21.3	0.4735	0.794	50.194

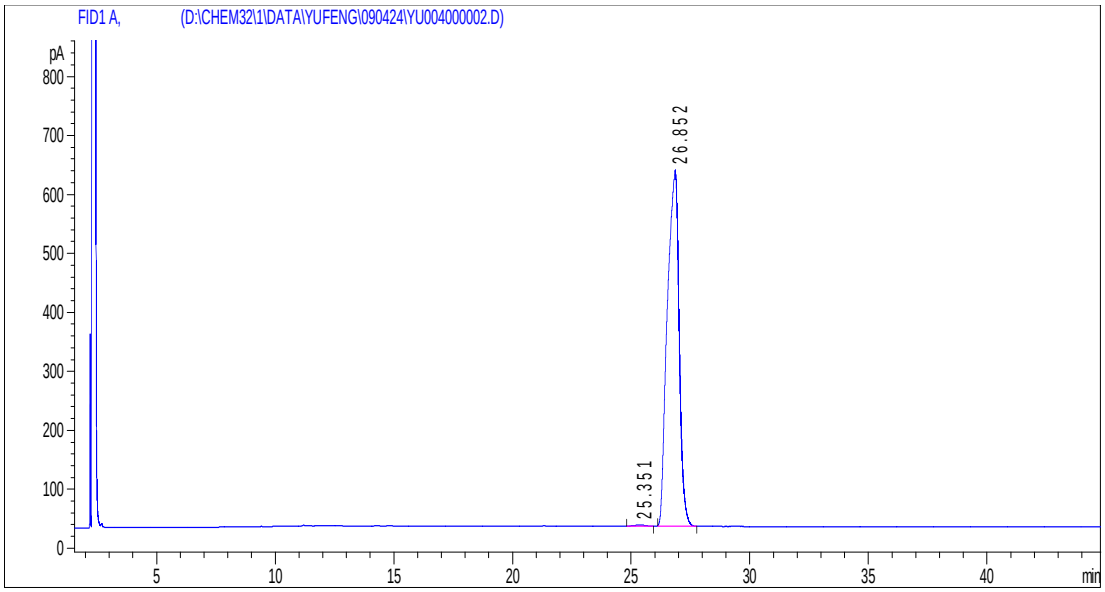


#	Time	Area	Height	Width	Symmetry	Area/%
1	8.373	6226.2	241.3	0.3578	0.168	99.550
2	9.793	28.1	1.8	0.2183	0.923	0.450

3-(2-oxopropyl)-1-propylpyrrolidine-2, 5-dione (7k)



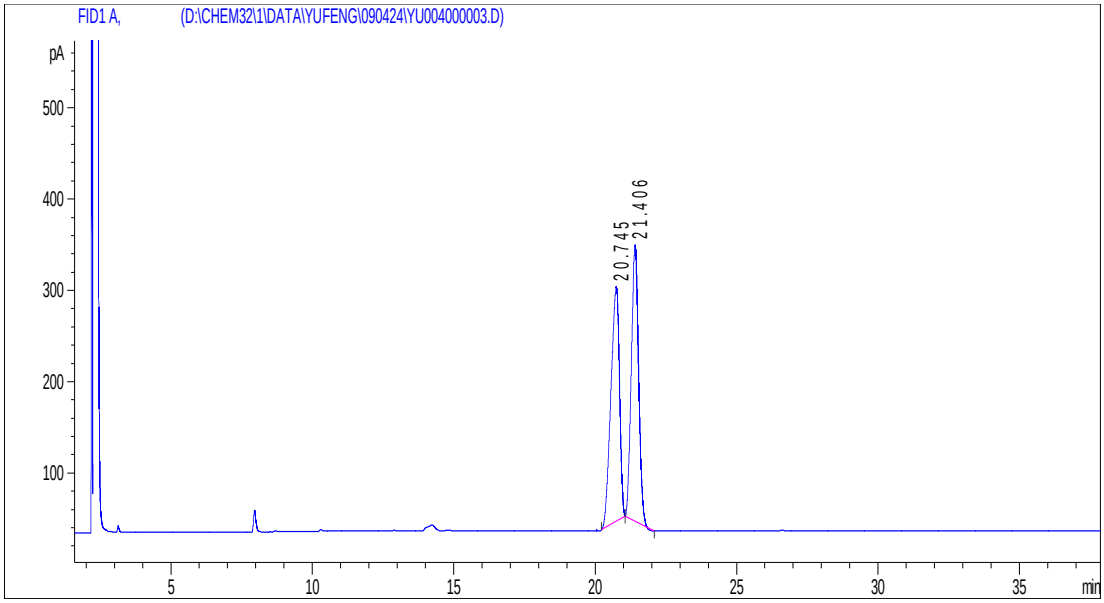
#	Time	Area	Height	Width	Symmetry	Area/%
1	25.601	10241.6	277.5	0.6152	2.565	50.151
2	26.805	10179.8	362.1	0.331	1.066	49.849



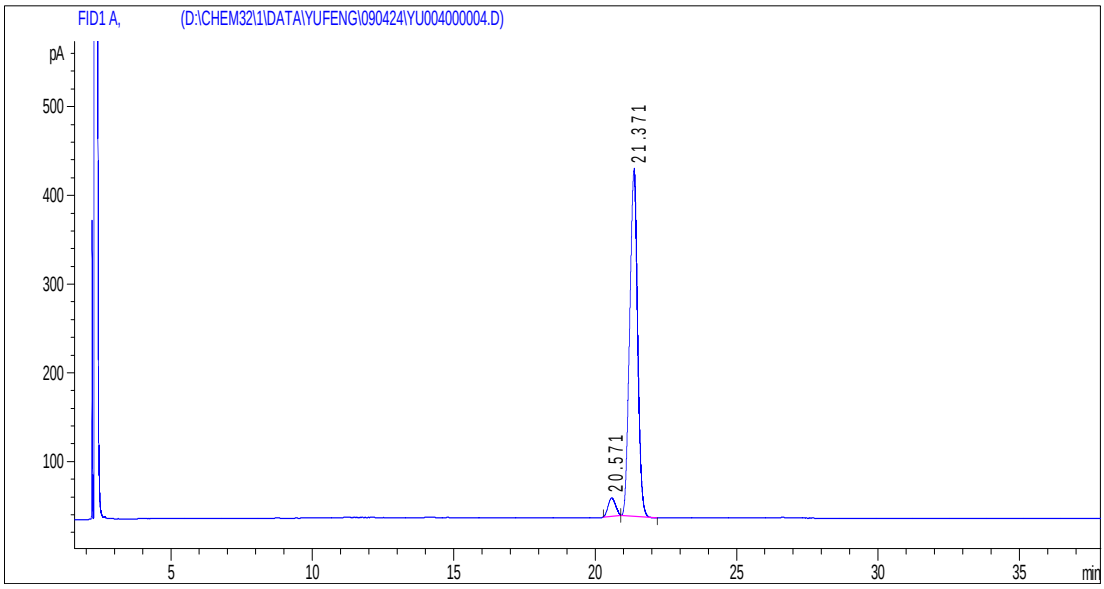
#	Time	Area	Height	Width	Symmetry	Area/%
1	25.351	80.9	2.2	0.6035	1.084	0.387

2	26.852	20843.8	604.3	0.4094	1.826	99.613

1-isopropyl-3-(2-oxopropyl)pyrrolidine-2,5-dione (7l)



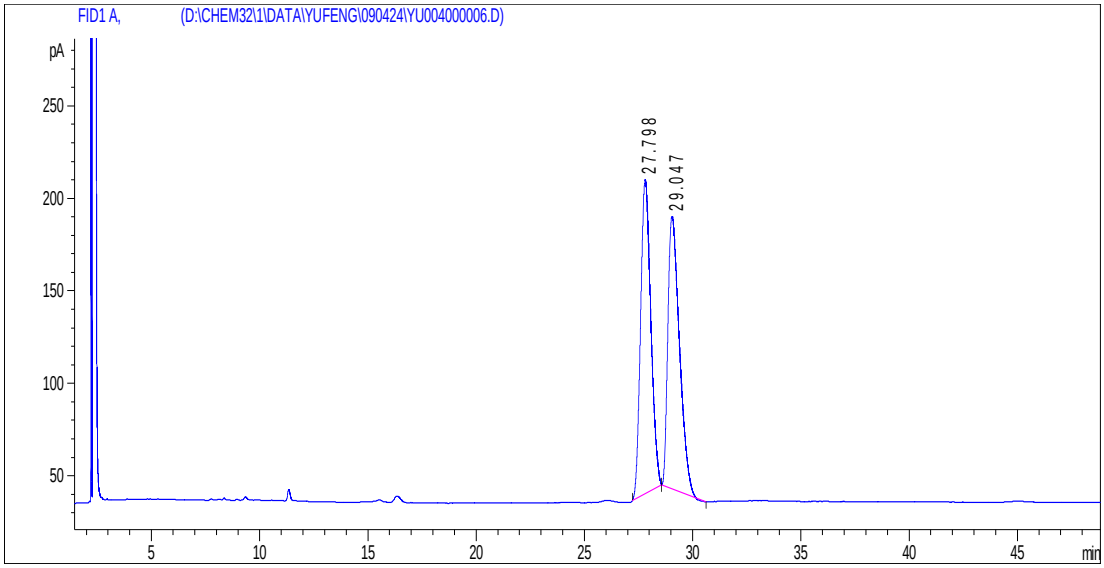
#	Time	Area	Height	Width	Symmetry	Area/%
1	20.745	5432.1	257.1	0.2635	1.745	50.144
2	21.406	5400.8	303.1	0.2159	1.032	49.856



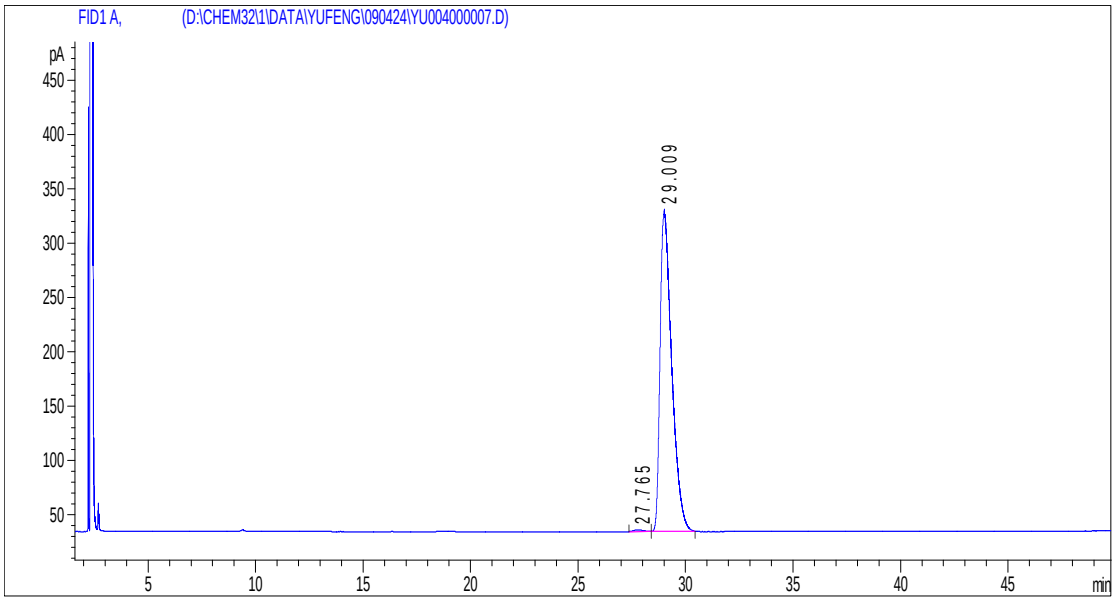
#	Time	Area	Height	Width	Symmetry	Area/%
1	20.571	379.3	20.7	0.2165	0.842	4.744

2	21.371	7614.7	391.8	0.2417	1.24	95.256

3-(2-oxopropyl)-1-phenylpyrrolidine-2,5-dione (7m)

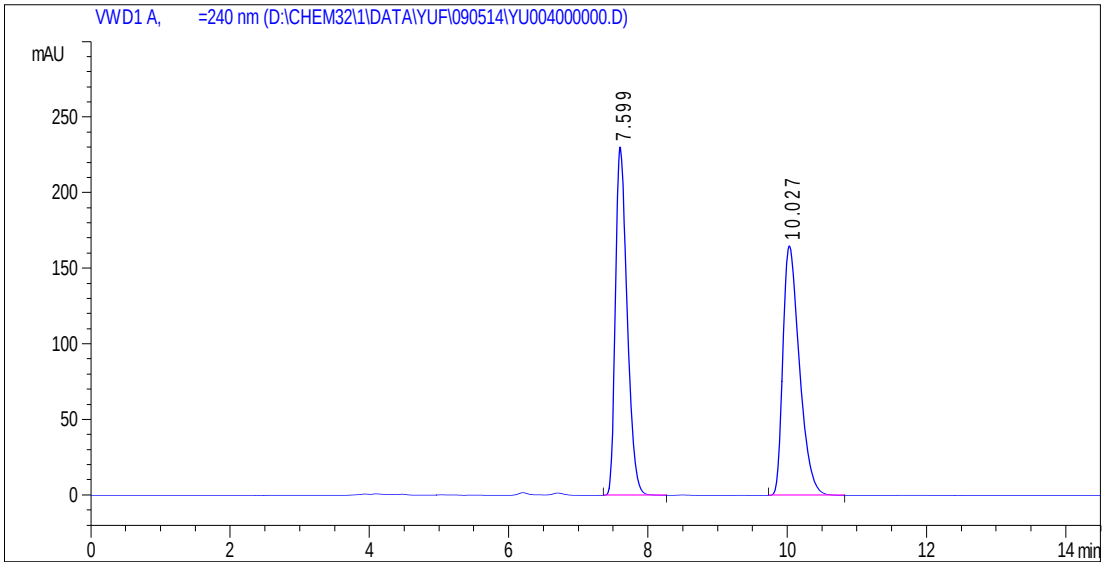


#	Time	Area	Height	Width	Symmetry	Area/%
1	27.765	49.7	1.6	0.5151	0.847	0.445
2	29.009	11103.2	296.2	0.6247	0.56	99.555

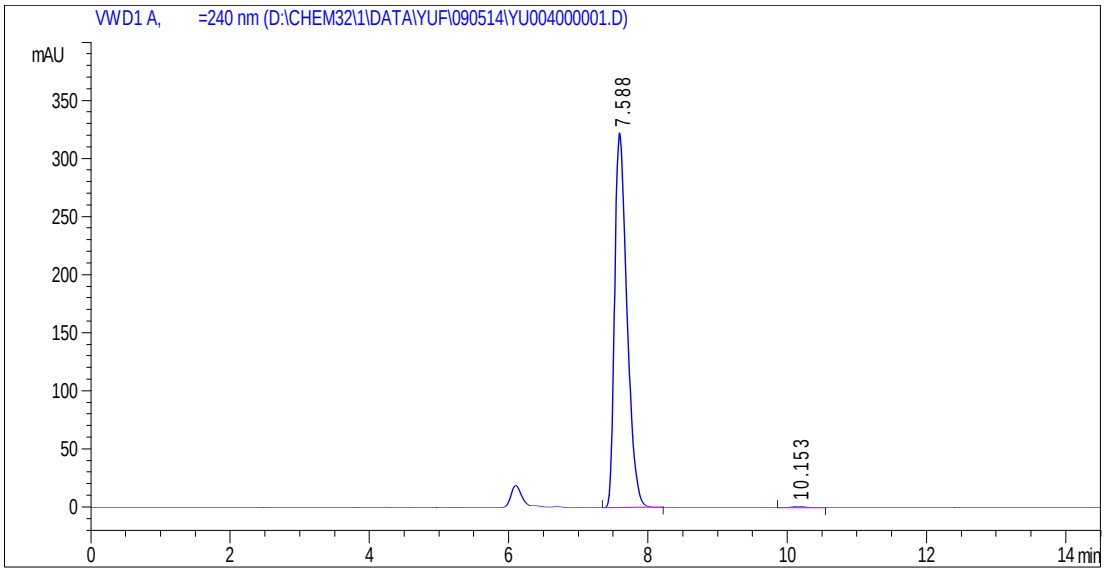


#	Time	Area	Height	Width	Symmetry	Area/%
1	27.765	49.7	1.6	0.5151	0.847	0.445
2	29.009	11103.2	296.2	0.6247	0.56	99.555

3-(2-methyl-3-oxobutan-2-yl)-1-phenylpyrrolidine-2,5-dione (7n)

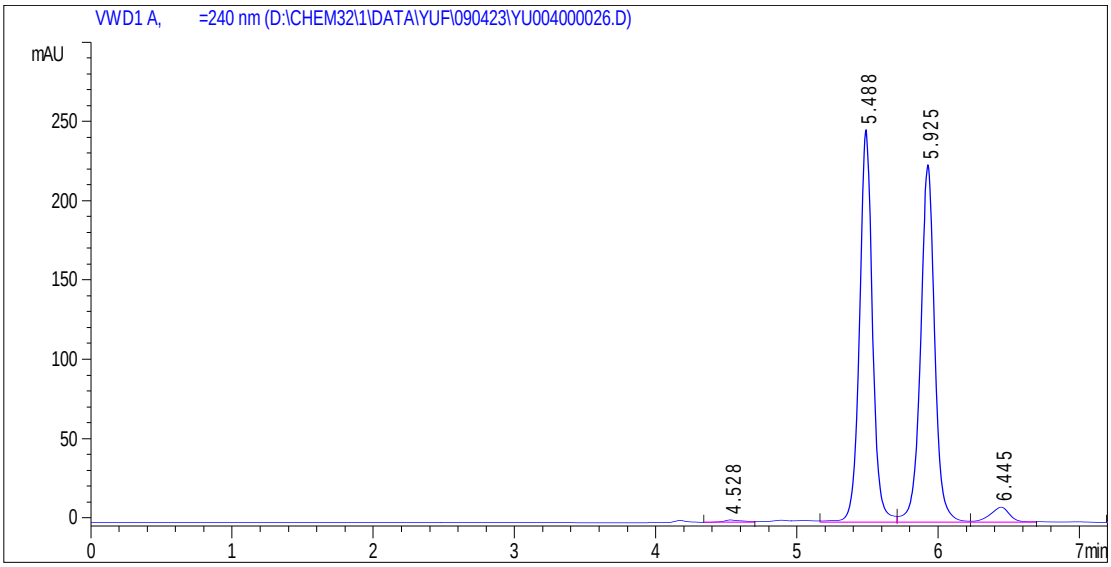


#	Time	Area	Height	Width	Symmetry	Area/%
1	7.599	2698.3	230.6	0.1816	0.655	49.807
2	10.027	2719.2	165.1	0.2532	0.596	50.193

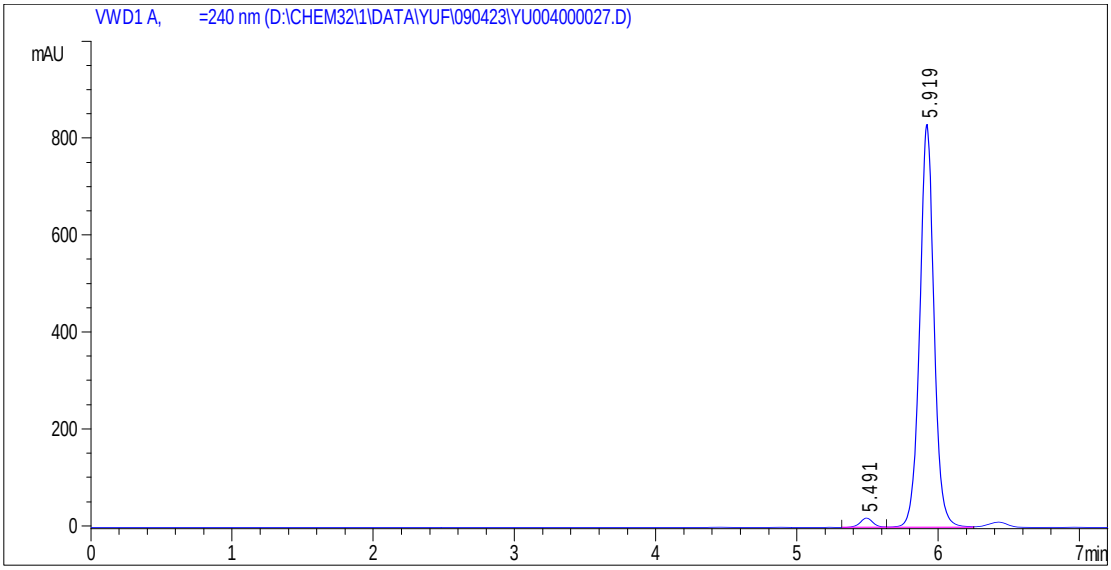


#	Time	Area	Height	Width	Symmetry	Area/%
1	7.588	3963.1	322.7	0.1884	0.6	99.598
2	10.153	16	1	0.2659	0.759	0.402

3-(2-methyl-3-oxobutan-2-yl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7o)

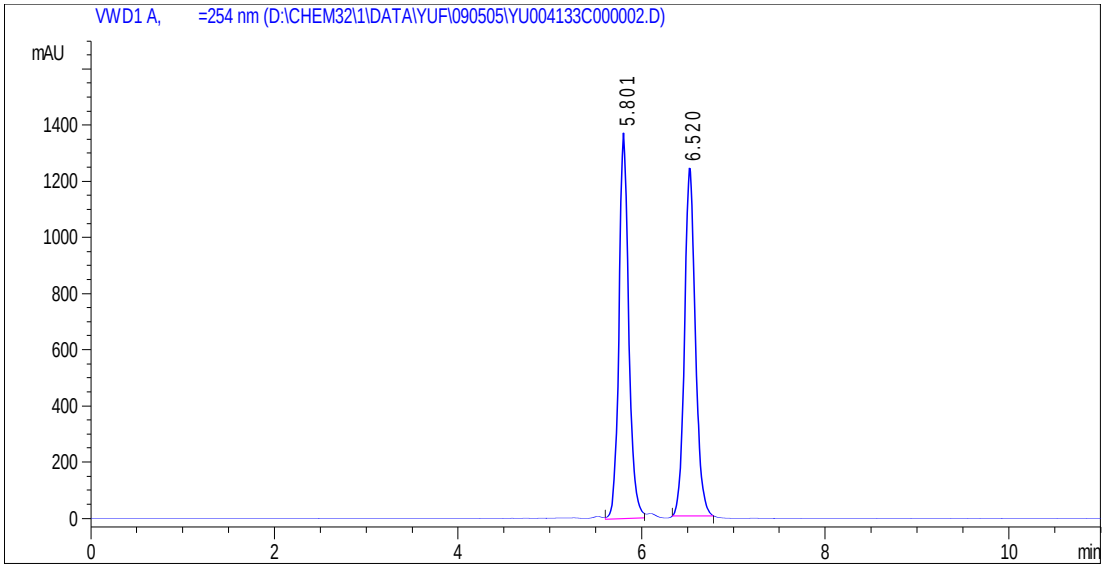


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.488	1590.6	247.8	0.0967	0.97	50.209
2	5.925	1577.3	225.6	0.1063	1.007	49.791

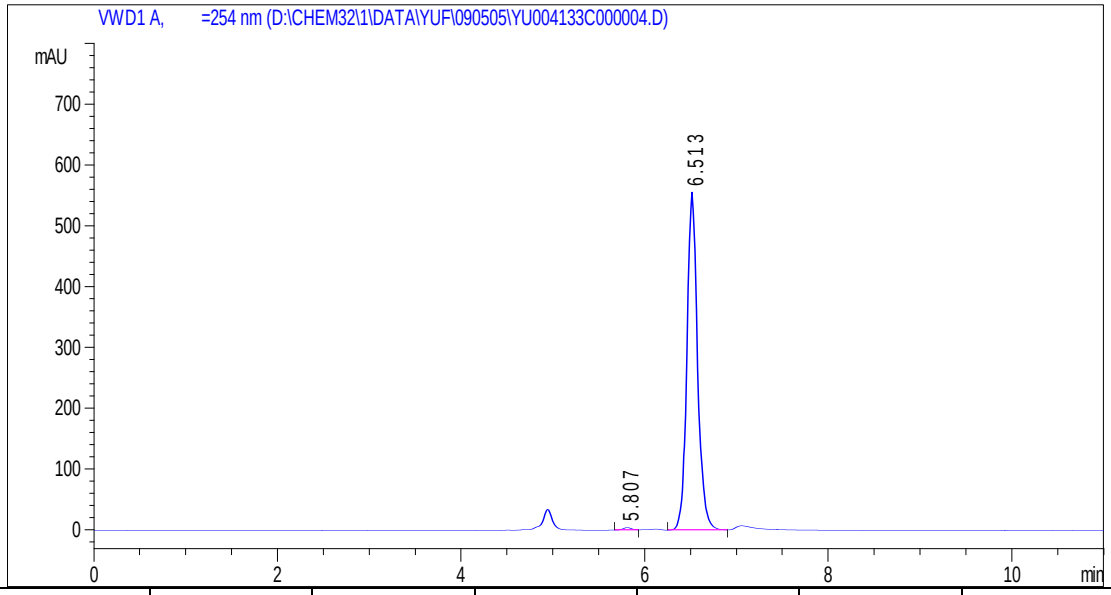


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.491	129.7	19.7	0.1001	0.968	2.166
2	5.919	5861.7	832.4	0.1069	0.968	97.834

1-(4-bromophenyl)-3-(2-methyl-3-oxobutan-2-yl) pyrrolidine-2,5-dione (7p)

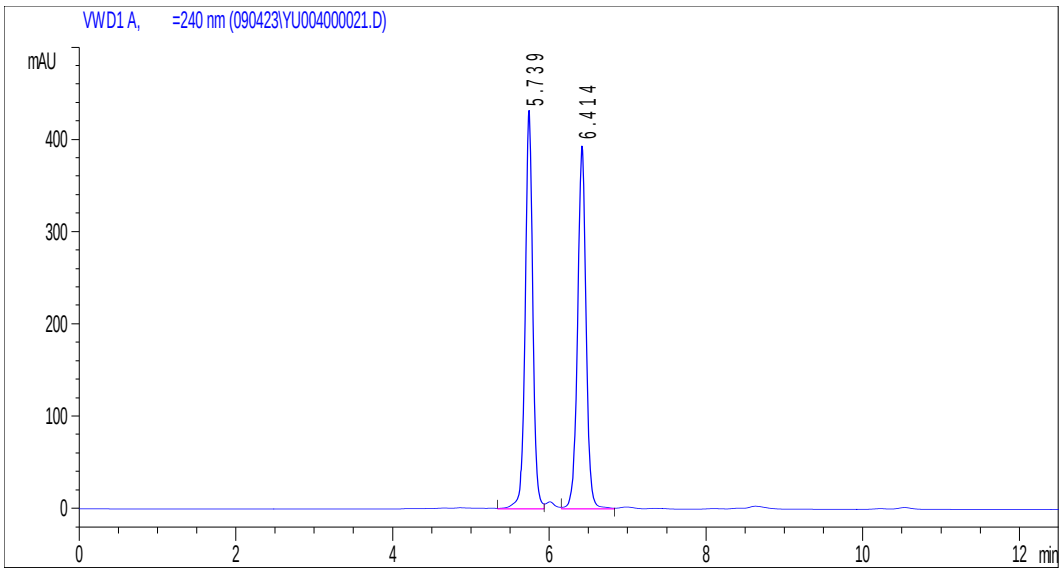


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.801	10203.9	1376.7	0.1235	0.856	50.040
2	6.52	10187.7	1241.8	0.1367	0.85	49.960

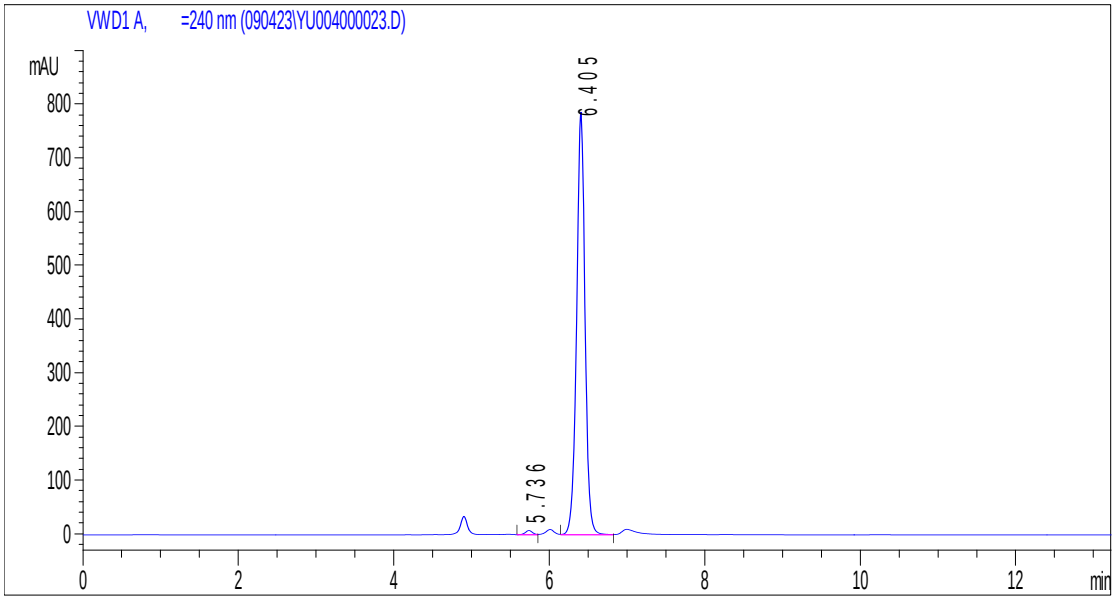


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.807	29.5	4.4	0.1022	0.893	0.652
2	6.513	4489.1	555.5	0.1219	0.848	99.348

1-(4-chlorophenyl)-3-(2-methyl-3-oxobutan-2-yl) pyrrolidine-2,5-dione (7q)

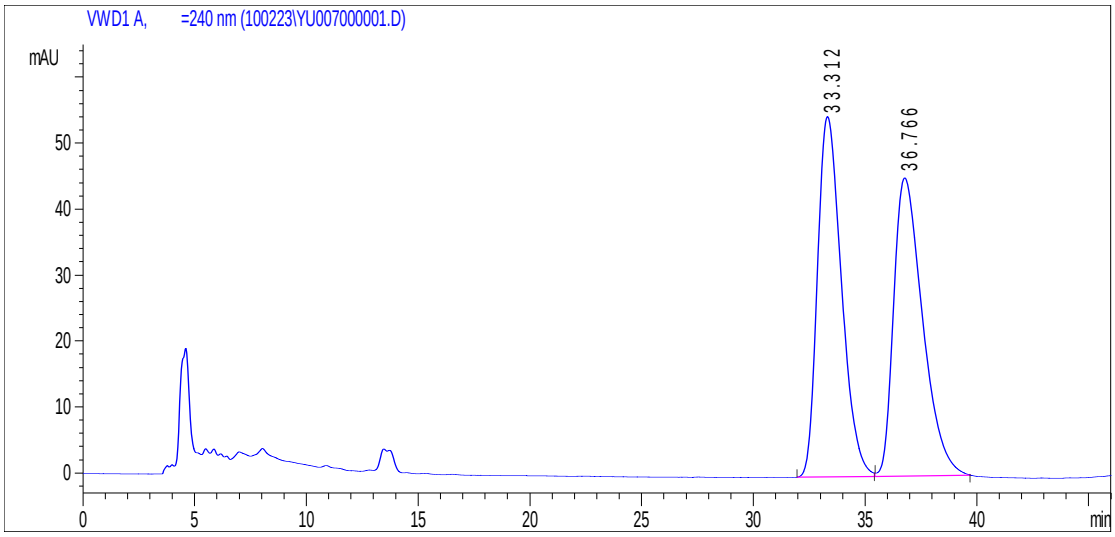


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.739	2926.5	432.8	0.1022	1.022	49.630
2	6.414	2970.1	394.2	0.1141	1.001	50.370

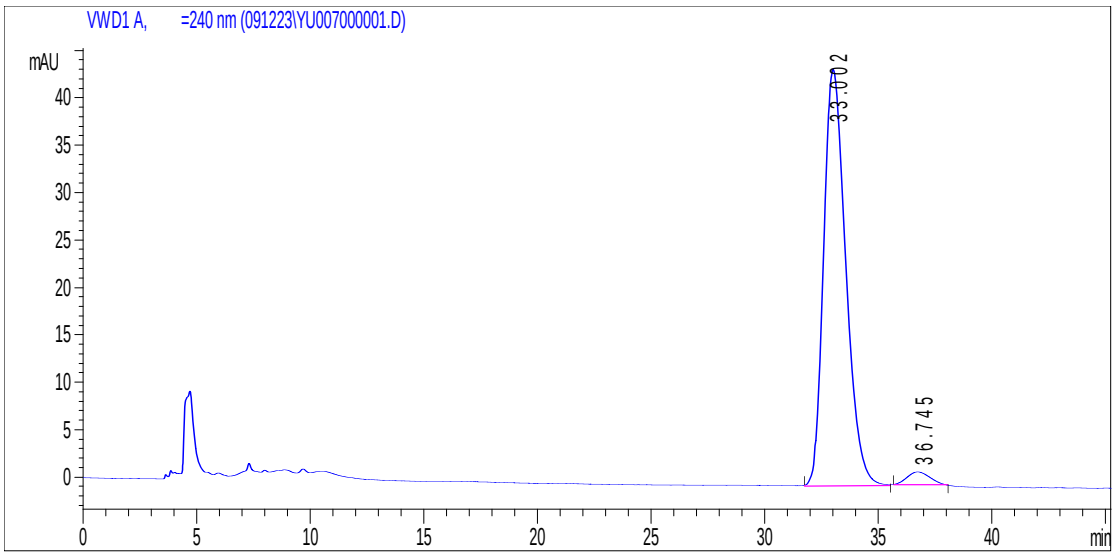


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.736	55.6	8.1	0.1032	1.02	0.930
2	6.405	5925.3	787.6	0.114	1.019	99.070

(*E*)-3-(2-oxo-4-phenylbut-3-enyl)-1-phenylpyrrolidine-2, 5-dione (7r)

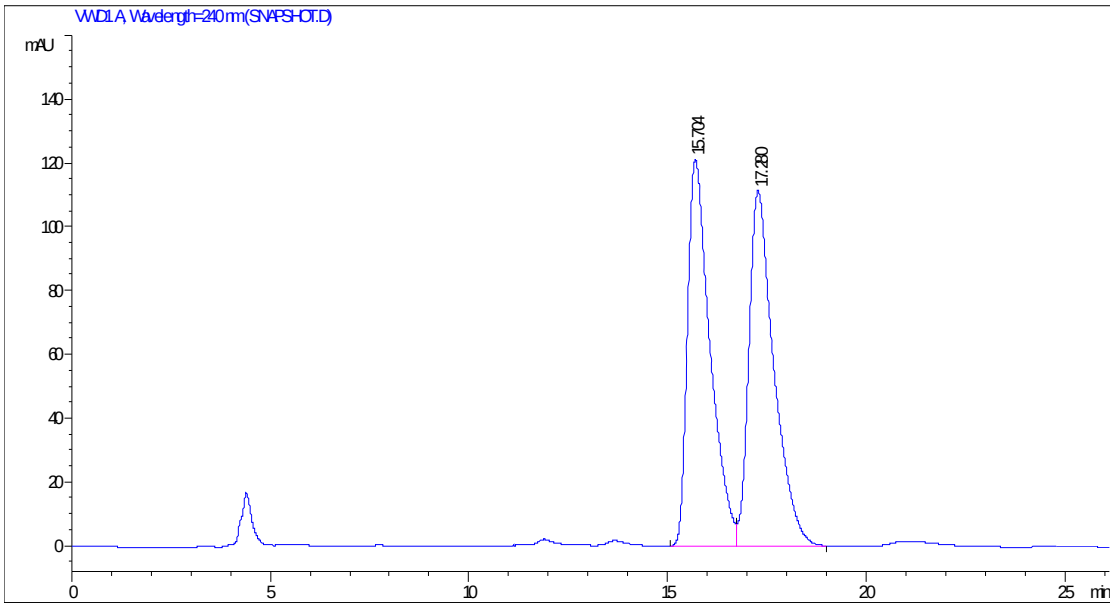


#	Time	Area	Height	Width	Symmetry	Area/%
1	33.312	4083.1	54.6	1.1543	0.682	50.091
2	36.766	4068.2	45.2	1.3693	0.581	49.909

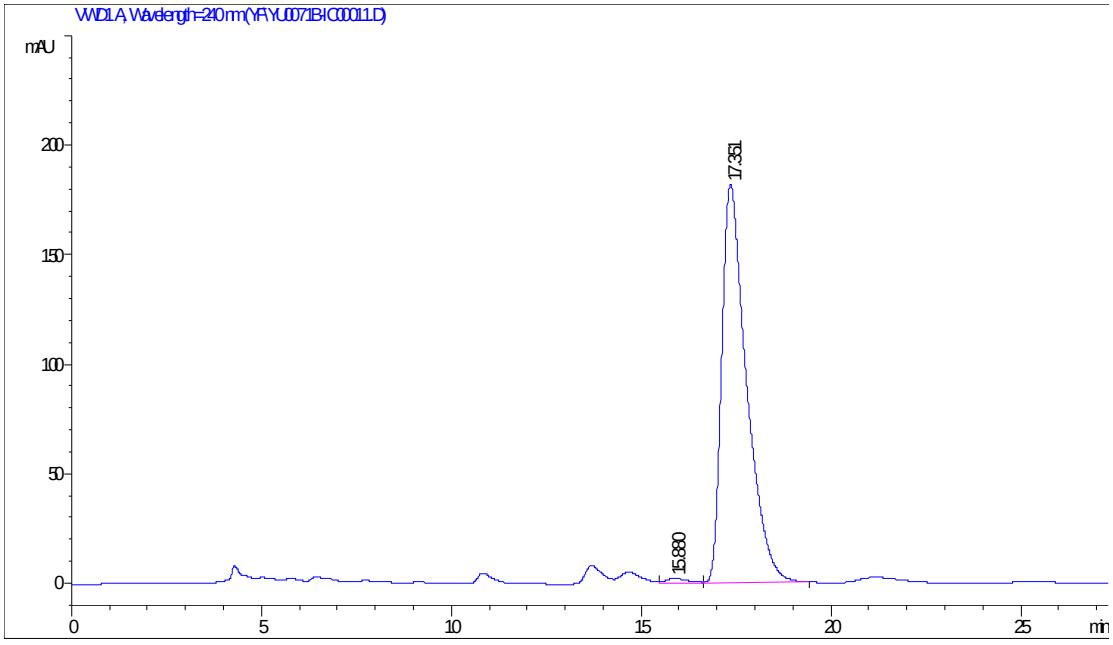


#	Time	Area	Height	Width	Symmetry	Area/%
1	33.002	2979.3	43.9	0.9794	0	96.835
2	36.745	97.4	1.4	1.18	0.896	3.165

(*E*)-1-(4-chlorophenyl)-3-(2-oxo-4-phenylbut-3-enyl)pyrrolidine-2,5-dione (7s)

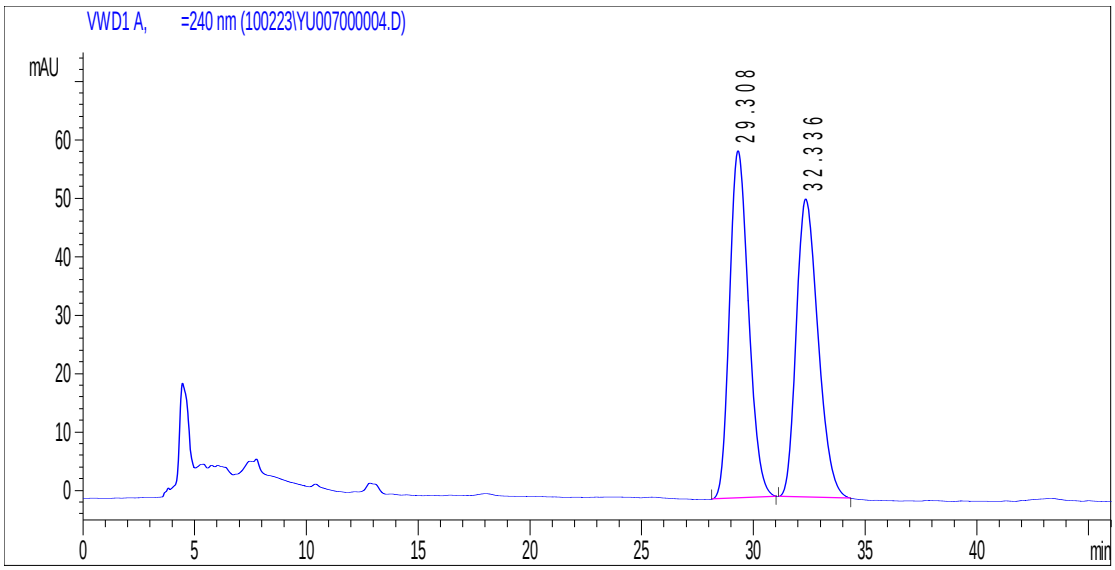


#	Time	Area	Height	Width	Area%	Symmetry
1	15.704	4808.1	121.2	0.5837	49.648	0.5
2	17.28	4876.2	111.5	0.637	50.352	0.538

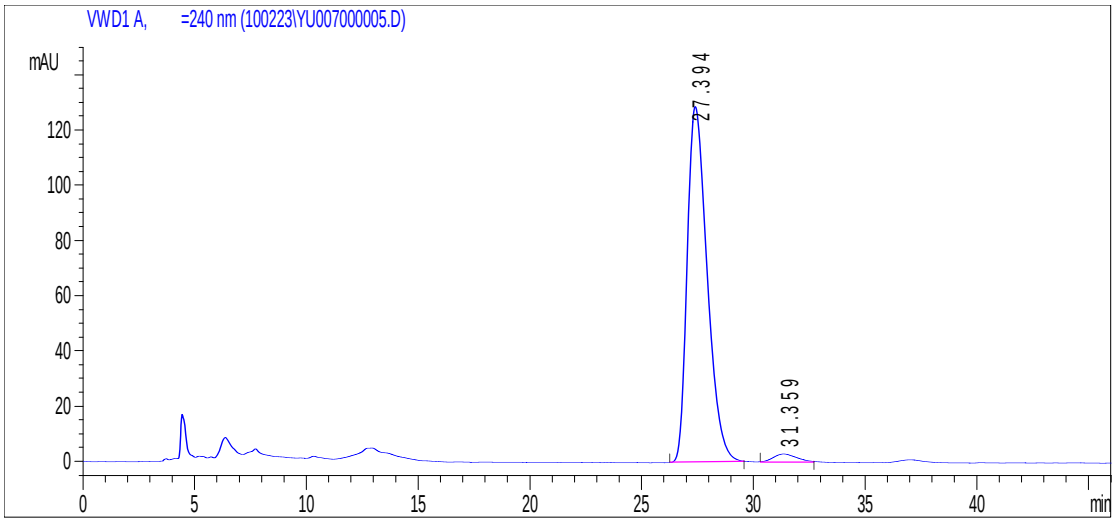


#	Time	Area	Height	Width	Area%	Symmetry
1	15.88	88.7	2.2	0.5595	1.060	0.667
2	17.351	8282.4	182.1	0.6647	98.940	0.508

(*E*)-3-(2-oxo-4-phenylbut-3-enyl)-1-p-tolylpyrrolidine-2, 5-dione (7t)

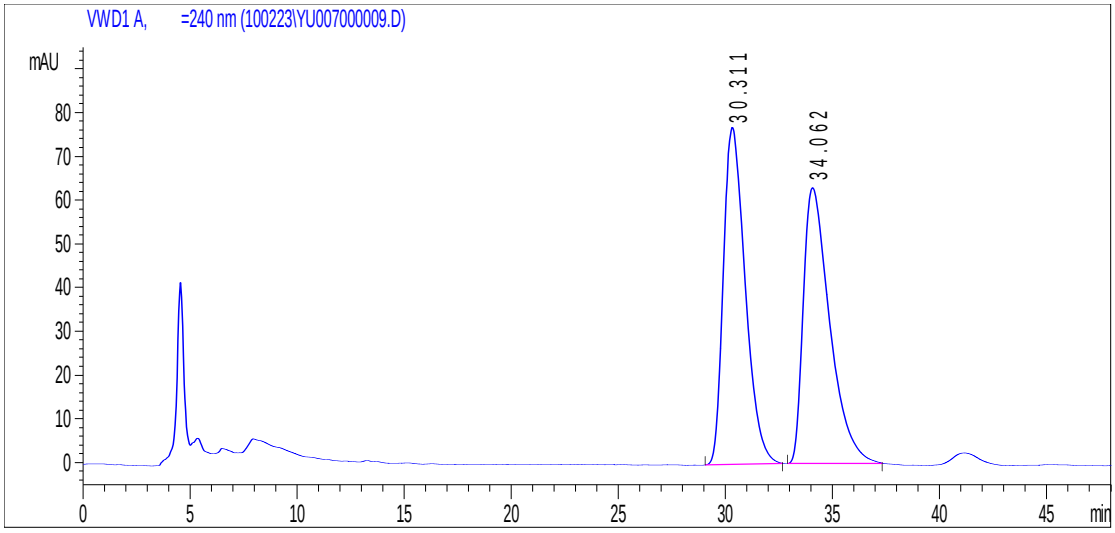


#	Time	Area	Height	Width	Symmetry	Area/%
1	29.308	3521.6	59.5	0.9166	0.77	50.370
2	32.336	3469.9	51.1	1.052	0.722	49.630

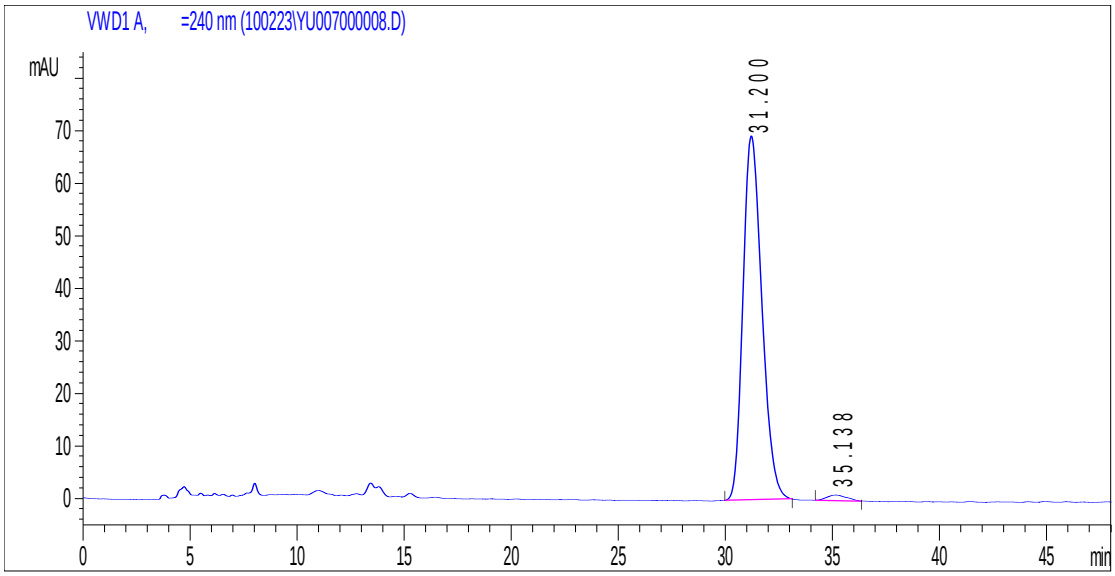


#	Time	Area	Height	Width	Symmetry	Area/%
1	27.394	7990	128.8	0.9612	0.639	97.401
2	31.359	213.2	2.9	1.2078	0.798	2.599

(*E*)-3-(4-(2-fluorophenyl)-2-oxobut-3-enyl)-1-phenylpyrrolidine-2, 5-dione (7u)

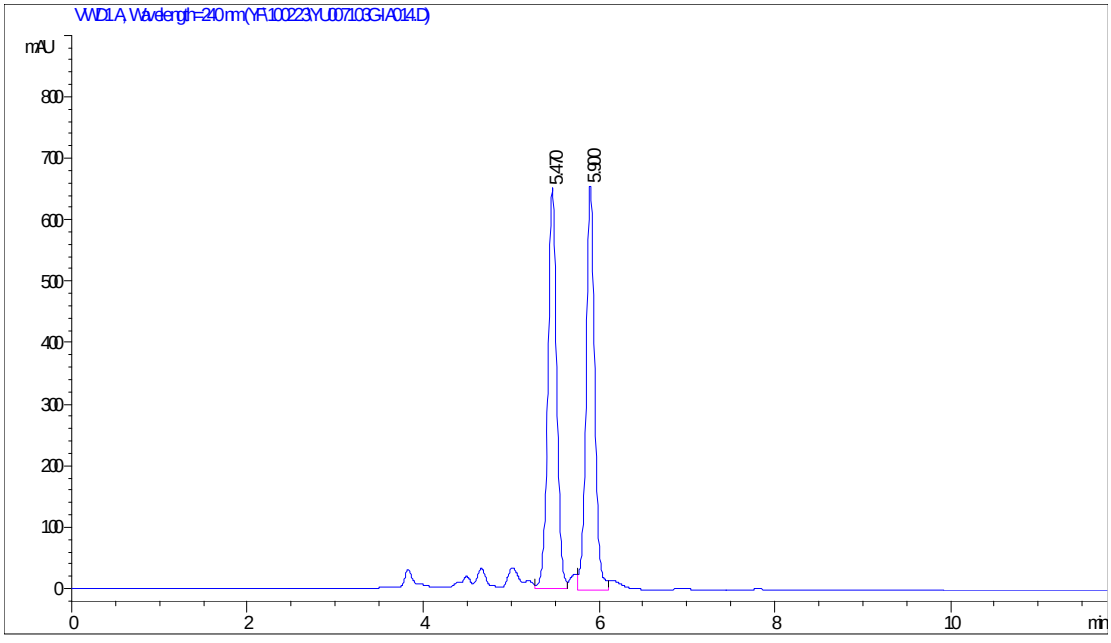


#	Time	Area	Height	Width	Symmetry	Area/%
1	30.311	5485.4	77.1	1.1007	0.645	50.332
2	34.062	5413.1	63.1	1.269	0.505	49.668



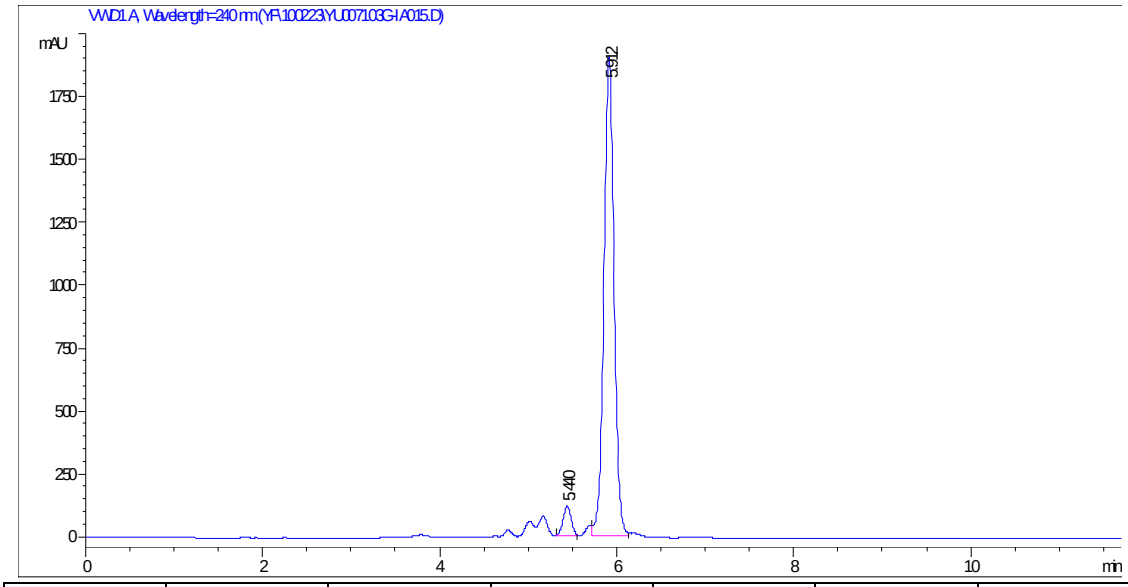
#	Time	Area	Height	Width	Symmetry	Area/%
1	31.2	4264.2	69.3	0.9534	0.747	98.281
2	35.138	74.6	1.1	1.1135	0.742	1.719

(*E*)-3-(4-(4-bromophenyl)-2-oxobut-3-enyl)-1-(4-chlorophenyl)pyrrolidine-2,5-dione (7v)



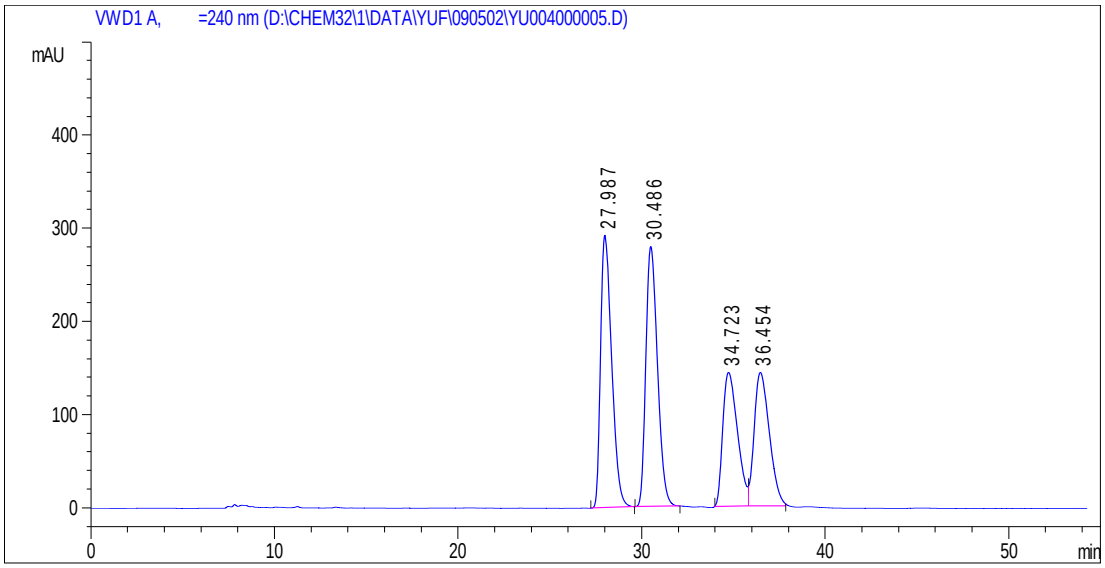
#	Time	Area	Height	Width	Area%	Symmetry

1	5.47	4560.1	653	0.1062	50.197	0.993
2	5.9	4524.2	656.3	0.1052	49.803	0.87

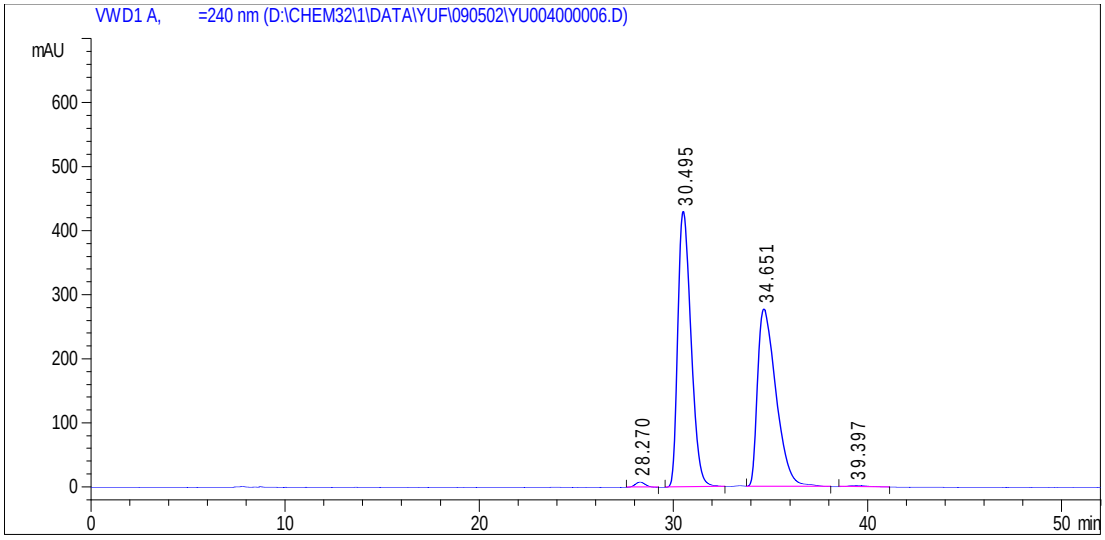


#	Time	Area	Height	Width	Area%	Symmetry
1	5.44	747.4	116.1	0.1073	4.739	1.006
2	5.912	15024.4	1904.2	0.1307	95.261	0

3-(3-oxopentan-2-yl)-1-m-tolylpyrrolidine-2, 5-dione (8a)

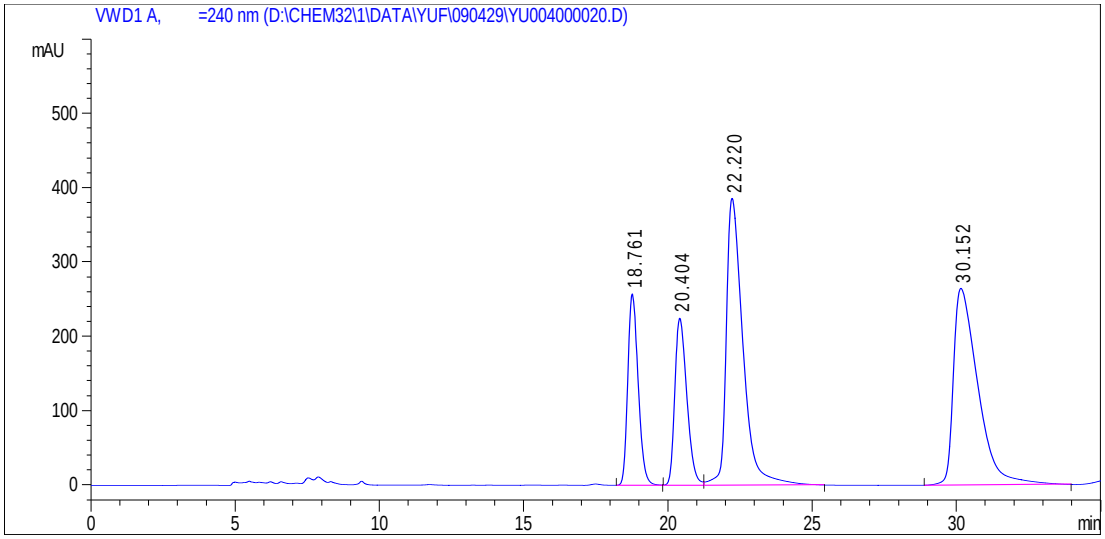


#	Time	Area	Height	Width	Symmetry	Area/%
1	27.987	12345.2	292.7	0.6609	0.593	29.838
2	30.486	12319.8	279.3	0.6908	0.696	29.777
3	34.723	8297.4	146.1	0.9464	0	20.055
4	36.454	8411.2	145.2	0.9654	0.659	20.330

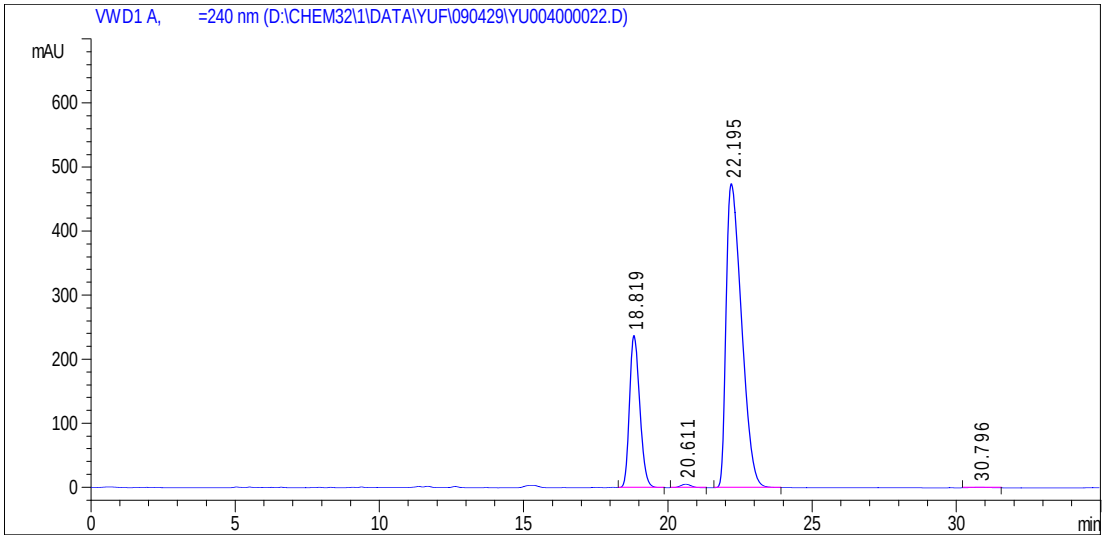


#	Time	Area	Height	Width	Symmetry	Area/%
1	28.27	306.3	8.3	0.5684	0.871	0.783
2	30.495	20314.9	431	0.7377	0.653	51.897
3	34.651	18378.6	277.6	1.0186	0.489	46.950
4	39.397	145	2	0.8466	0.597	0.370

3-(4-oxoheptan-3-yl)-1-phenylpyrrolidine-2, 5-dione (8b)

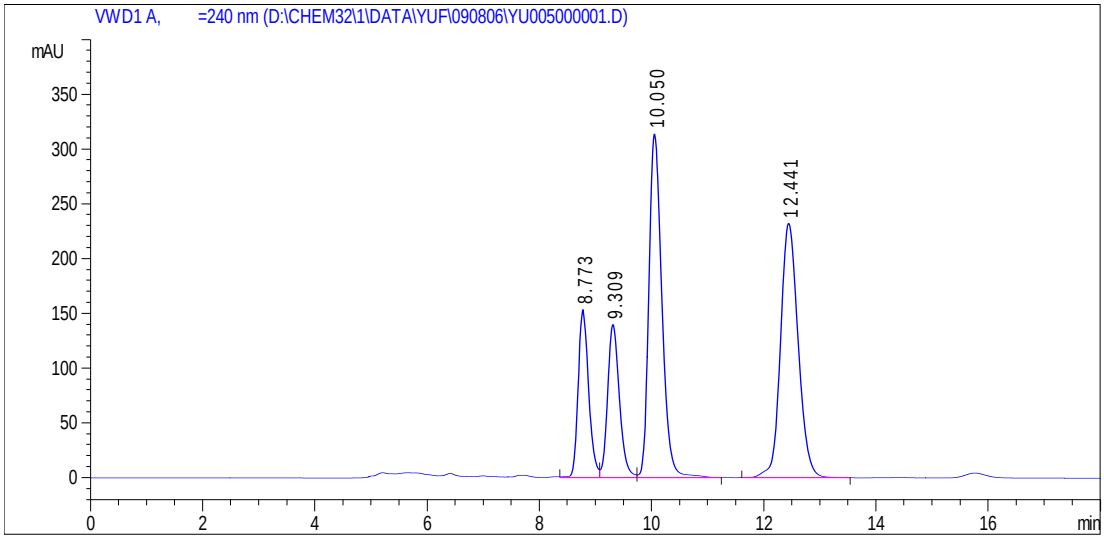


#	Time	Area	Height	Width	Symmetry	Area/%
1	18.761	6446.6	257.5	0.3881	0.729	14.627
2	20.404	6504.5	224.9	0.4496	0.657	14.759
3	22.22	15519.2	386	0.6117	0.504	35.213
4	30.152	15602.2	264.8	0.8607	0.414	35.401

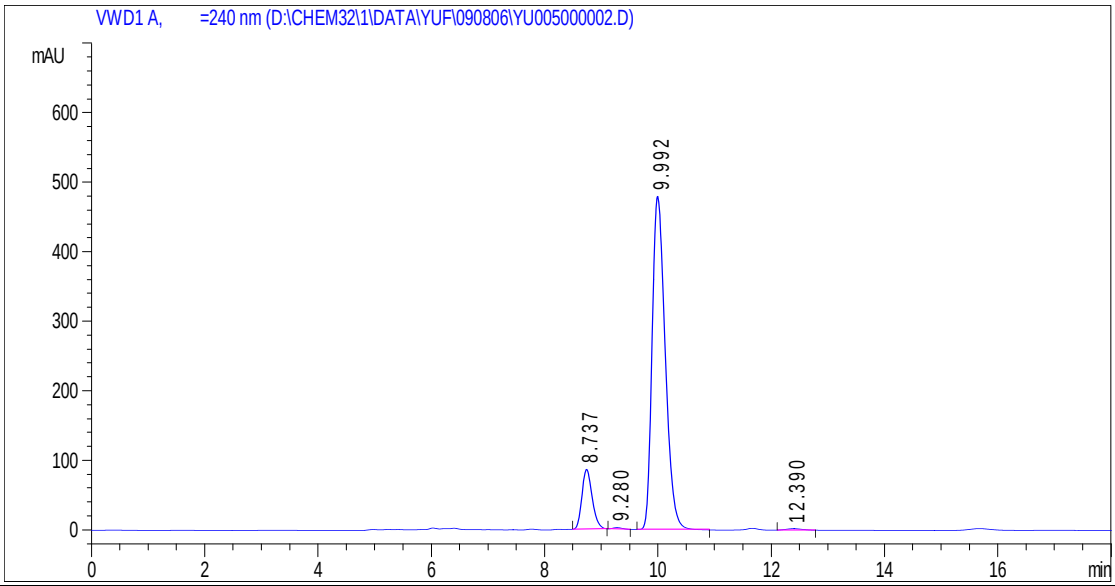


#	Time	Area	Height	Width	Symmetry	Area/%
1	18.819	5904.8	238	0.3856	0.743	24.875
2	20.611	150.1	5.6	0.4138	0.953	0.632
3	22.195	17642.1	475.1	0.5817	0.483	74.321
4	30.796	40.8	1	0.6785	0.917	0.172

3-(5-oxononan-4-yl)-1-phenylpyrrolidine-2, 5-dione (7c)

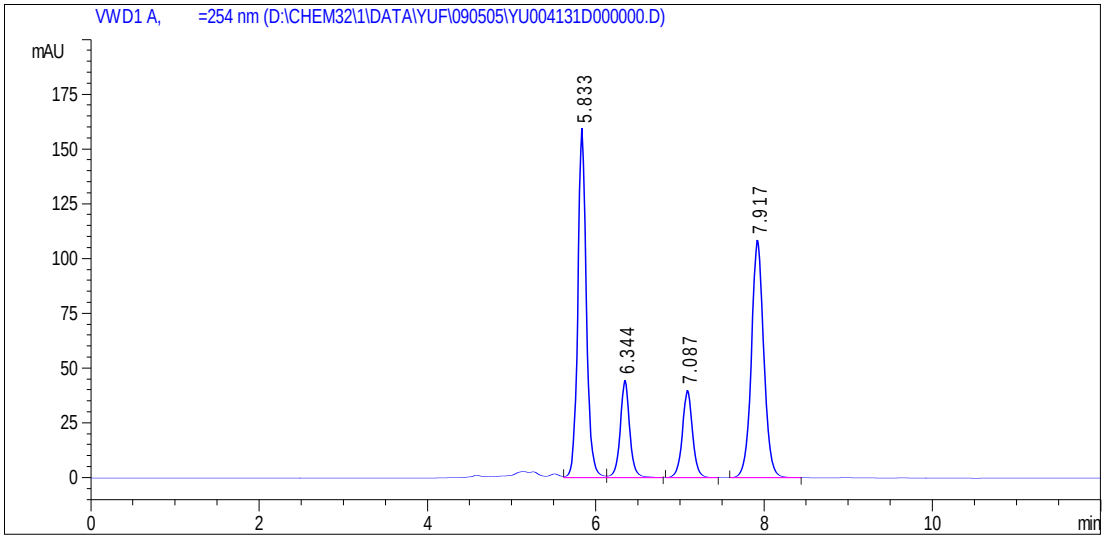


#	Time	Area	Height	Width	Symmetry	Area/%
1	8.773	2000.3	153.5	0.1986	0.779	14.125
2	9.309	2037	139.8	0.2239	0.778	14.384
3	10.05	5041.2	313.8	0.2471	0.71	35.598
4	12.441	5083.1	232.2	0.3383	0.833	35.894

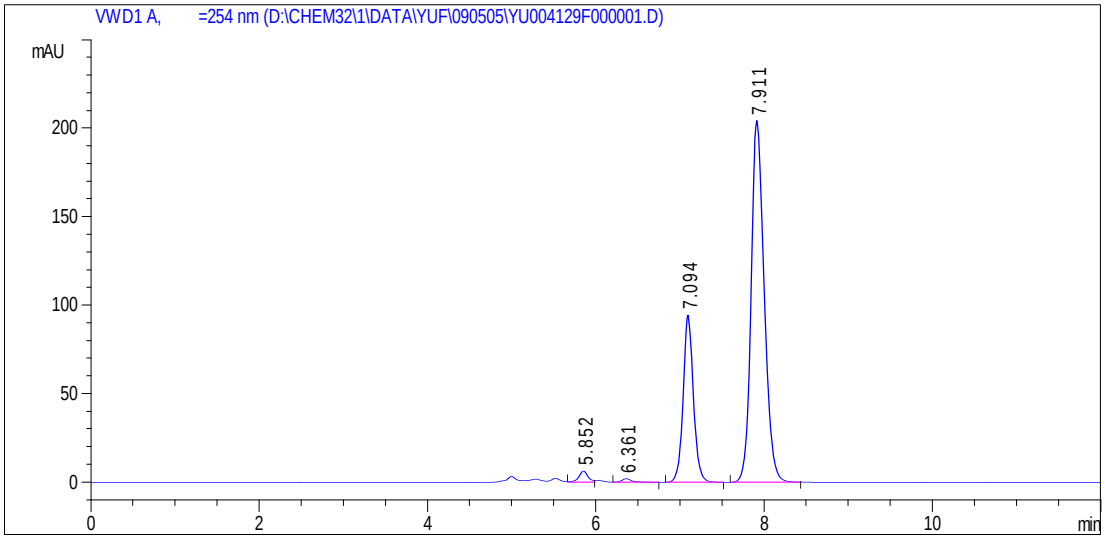


#	Time	Area	Height	Width	Symmetry	Area/%
1	8.737	1080.3	86.2	0.2089	0.8	12.202
2	9.28	26.1	2.2	0.1941	0.695	0.295
3	9.992	7702.8	479.7	0.2676	0.7	87.000
4	12.39	44.5	2.2	0.3375	0.856	0.503

1-benzyl-3-(2-oxocyclohexyl) pyrrolidine-2,5-dione (7d)

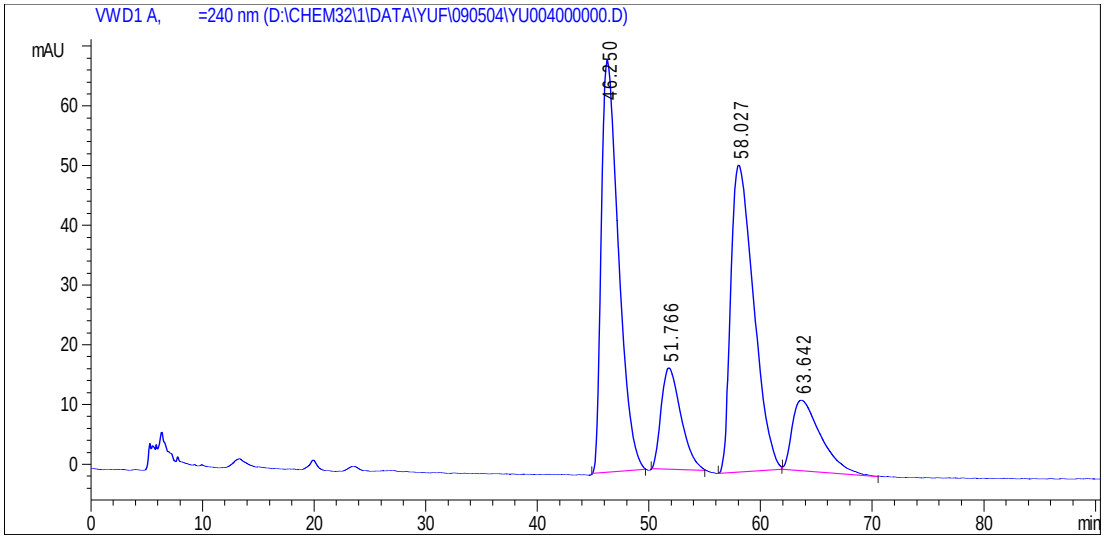


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.833	1127	159.5	0.1057	0.876	38.446
2	6.344	351.9	44.5	0.1184	0.919	12.004
3	7.087	339.5	40	0.1282	0.943	11.581
4	7.917	1113	108.6	0.156	0.879	37.969

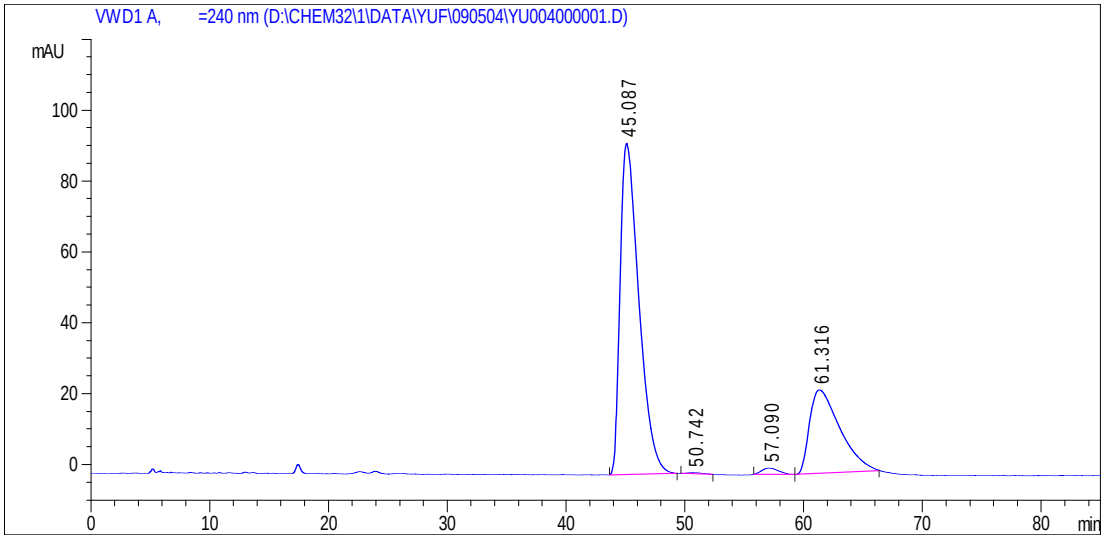


#	Time	Area	Height	Width	Symmetry	Area/%
1	5.852	49.8	6.4	0.1142	0.999	1.620
2	6.361	18.5	2.1	0.1296	0.718	0.603
3	7.094	800.8	94.5	0.1265	0.875	26.057
4	7.911	2204.1	204.4	0.1651	0.733	71.720

3-(2-oxocycloheptyl)-1-phenylpyrrolidine-2, 5-dione (7e)

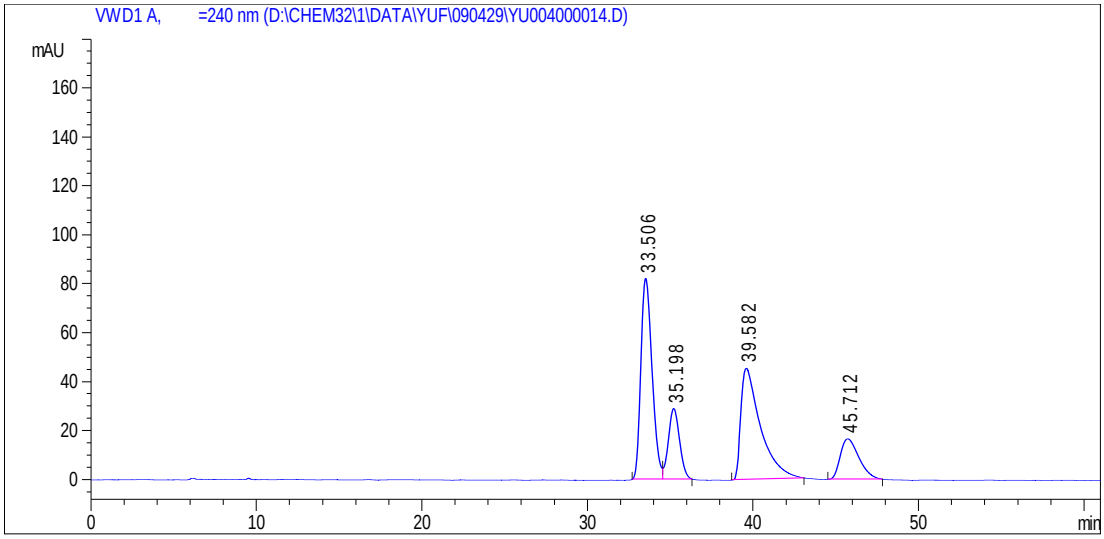


#	Time	Area	Height	Width	Symmetry	Area/%
1	46.25	7451.4	69.1	1.7975	0.513	39.144
2	51.766	2098.3	17	2.0558	0.616	11.023
3	58.027	7354	51.5	2.381	0.519	38.632
4	63.642	2132.3	11.8	3.0019	0.448	11.202

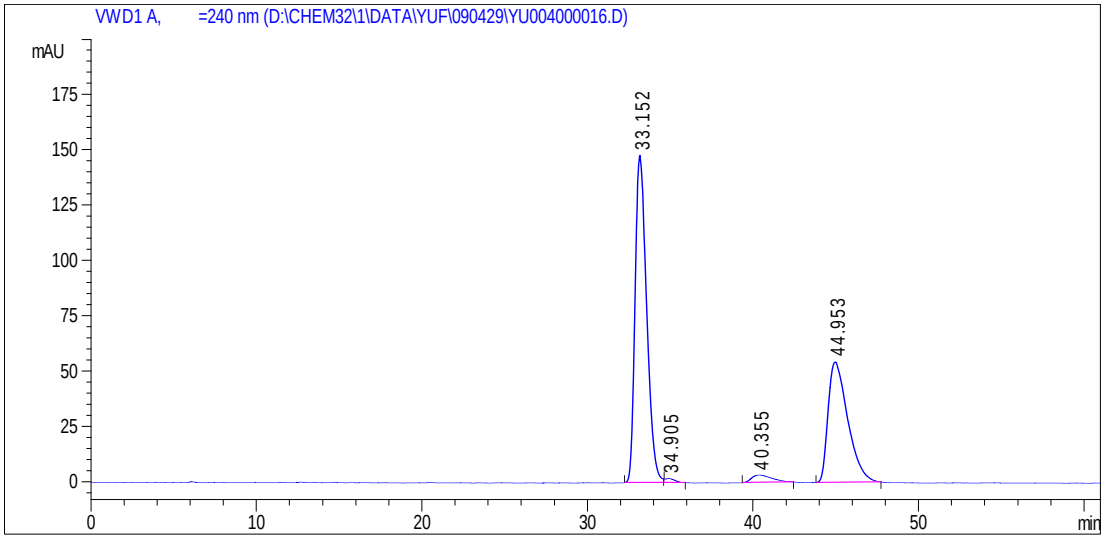


#	Time	Area	Height	Width	Symmetry	Area/%
1	45.087	10267.5	93.5	1.8299	0.516	69.851
2	50.742	36.8	3.9E-1	1.5724	0.684	0.250
3	57.09	181.8	1.8	1.1903	0.766	1.237
4	61.316	4213	23.6	2.3516	0.446	28.661

3-(2-oxocycloheptyl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7f)



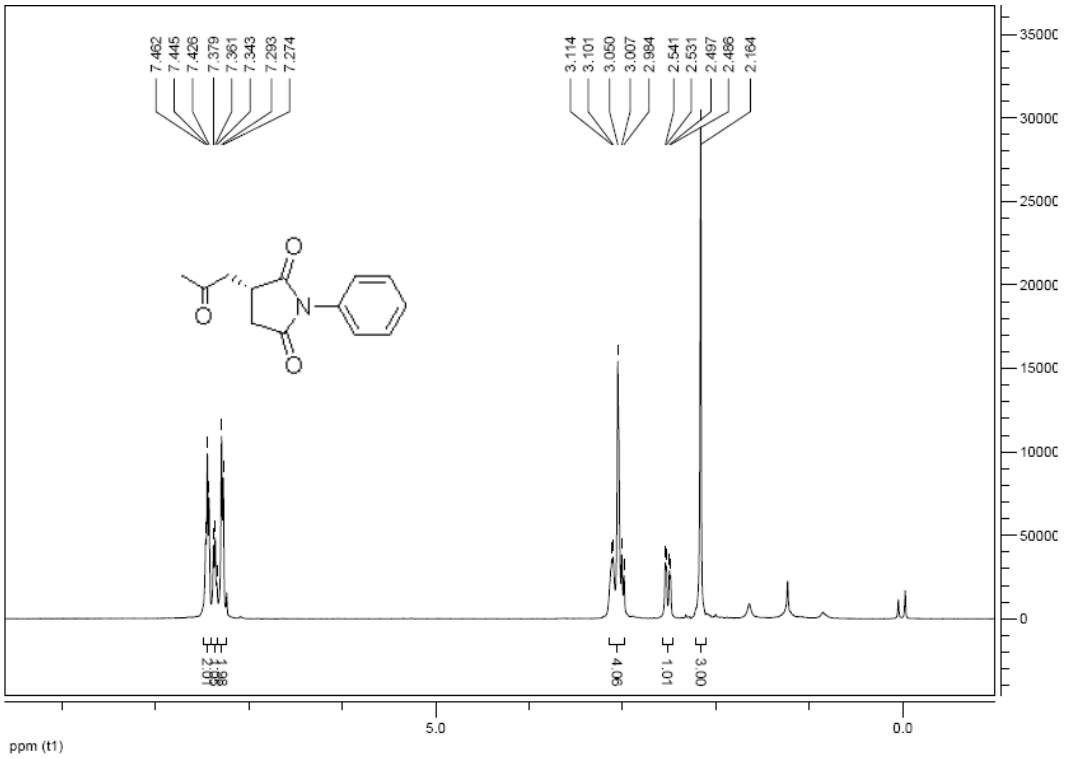
#	Time	Area	Height	Width	Symmetry	Area/%
1	33.506	3859.4	82.1	0.7834	0	37.552
2	35.198	1356.3	28.9	0.7819	0.876	13.197
3	39.582	3754.4	45.6	1.1549	0.353	36.530
4	45.712	1307.4	16.6	1.1742	0.629	12.721

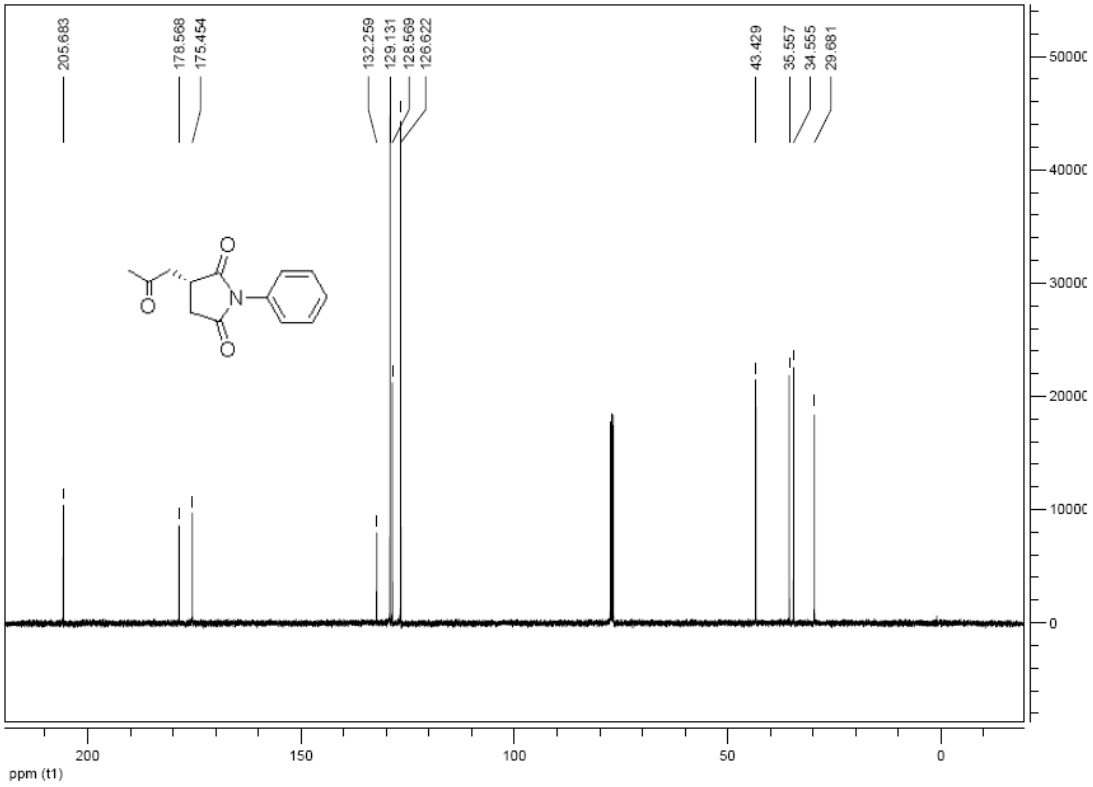


#	Time	Area	Height	Width	Symmetry	Area/%
1	33.152	7188.1	148.1	0.7411	0.665	59.573
2	34.905	78.1	1.9	0.5128	0.564	0.648
3	40.355	295.8	3.4	1.0141	0.562	2.451
4	44.953	4504	54.5	1.2336	0.532	37.328

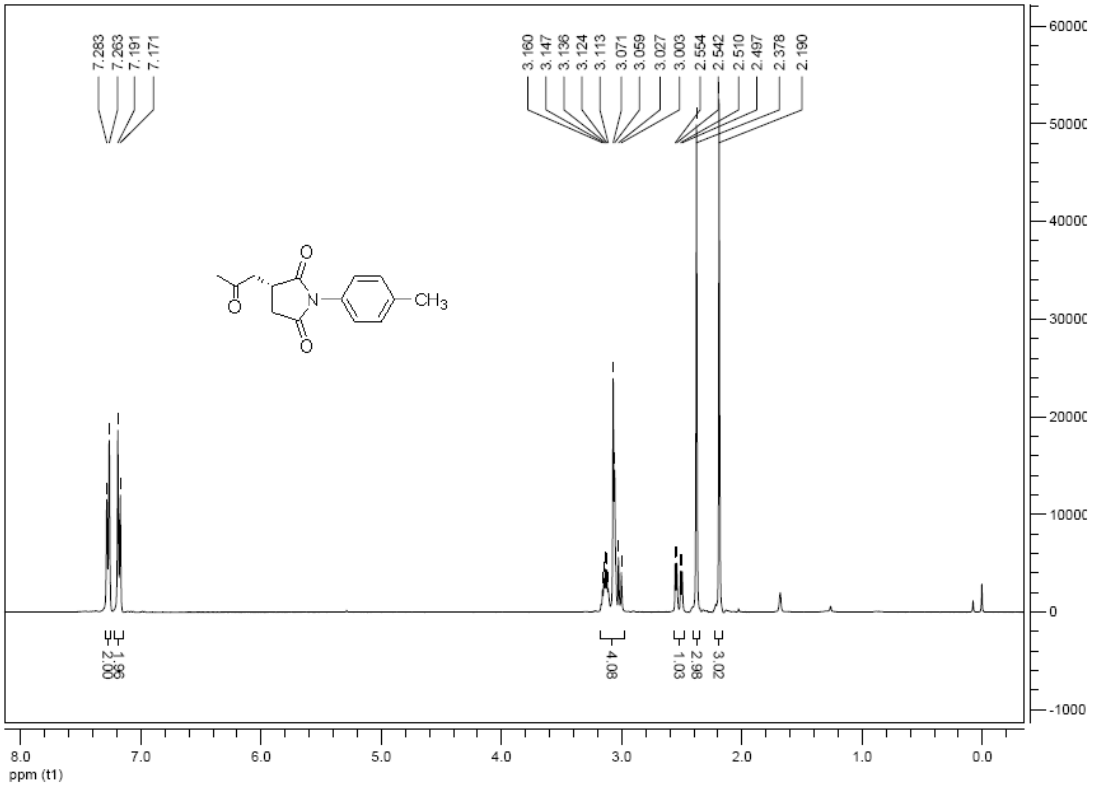
E: NMR Analysis of Michael Addition Products and Organocatalysts

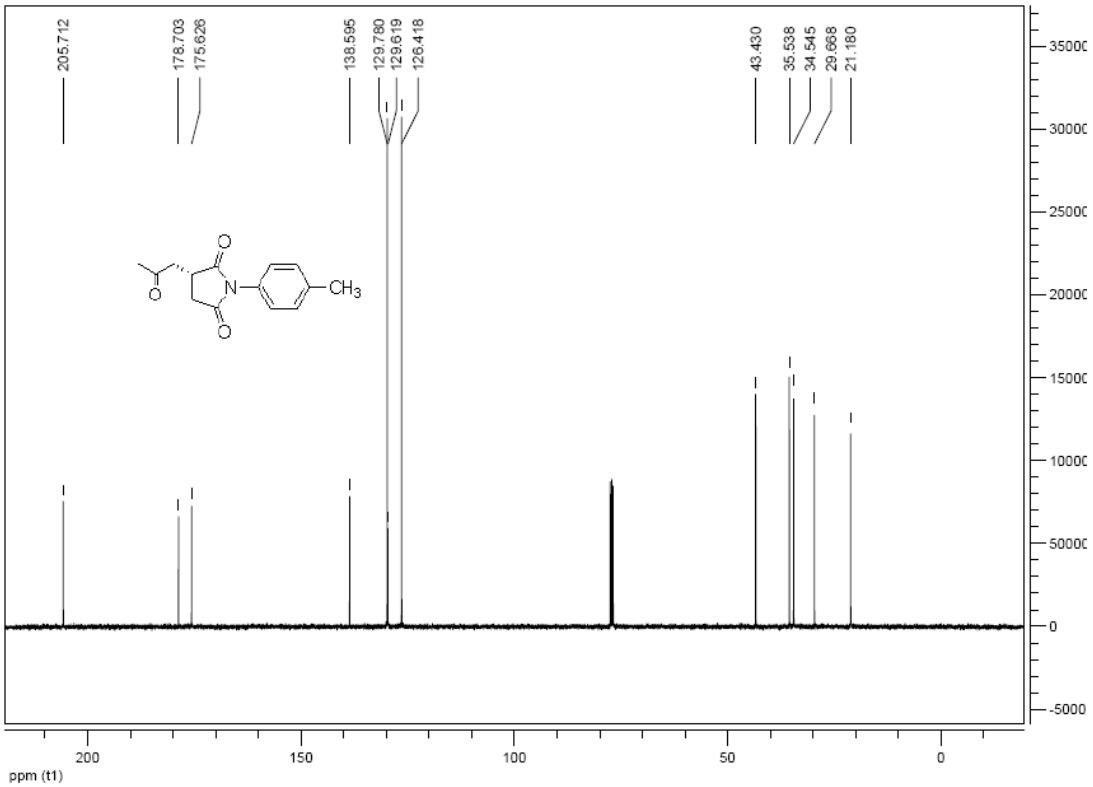
3-(2-oxopropyl)-1-phenylpyrrolidine-2, 5-dione (7a)



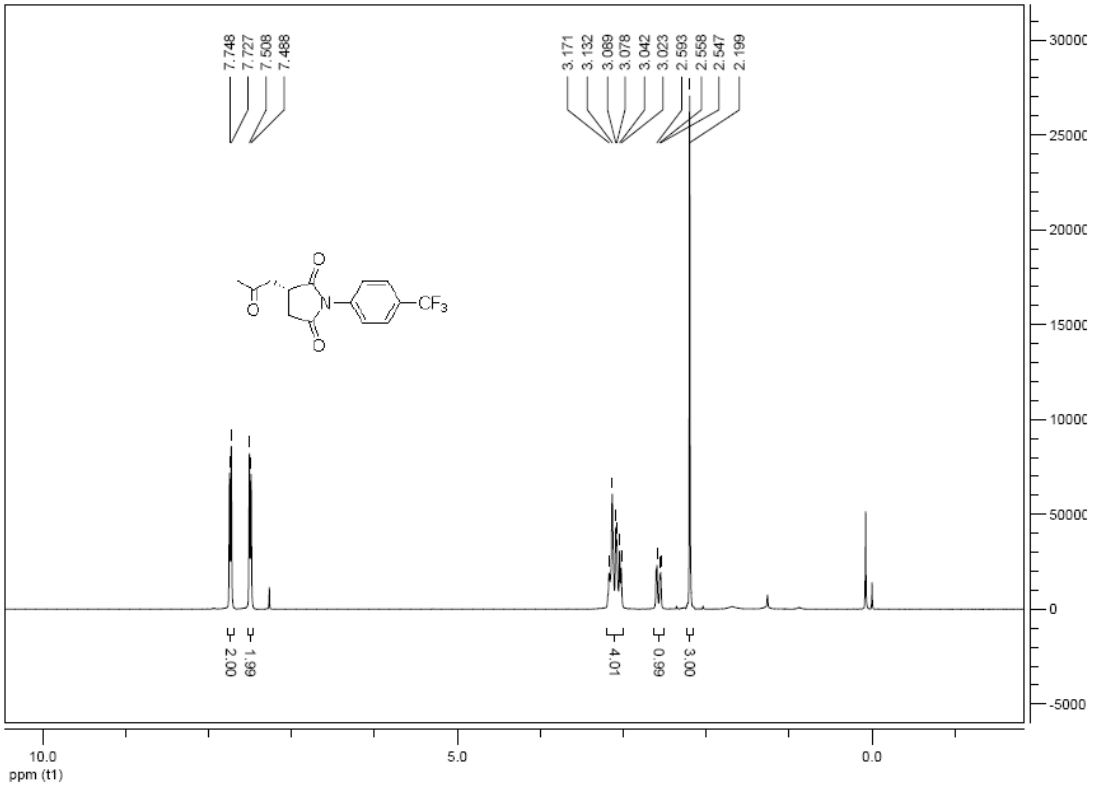


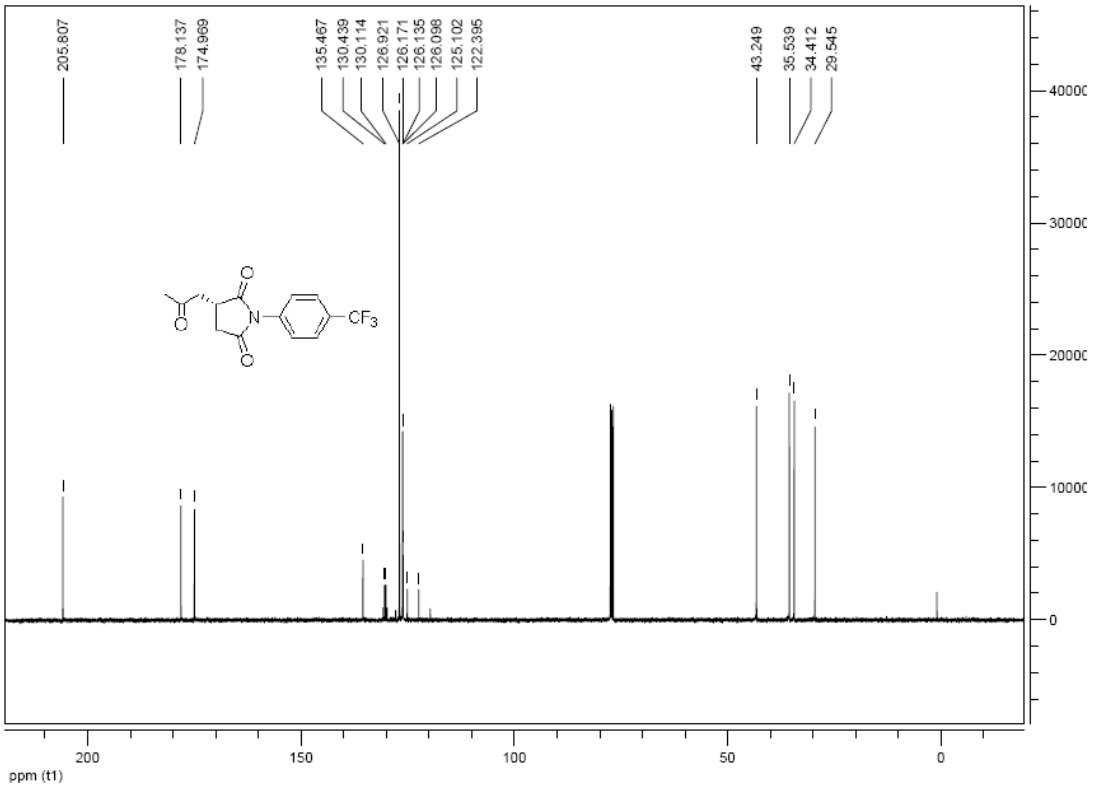
3-(2-oxopropyl)-1-p-tolylpyrrolidine-2,5-dione (7b)



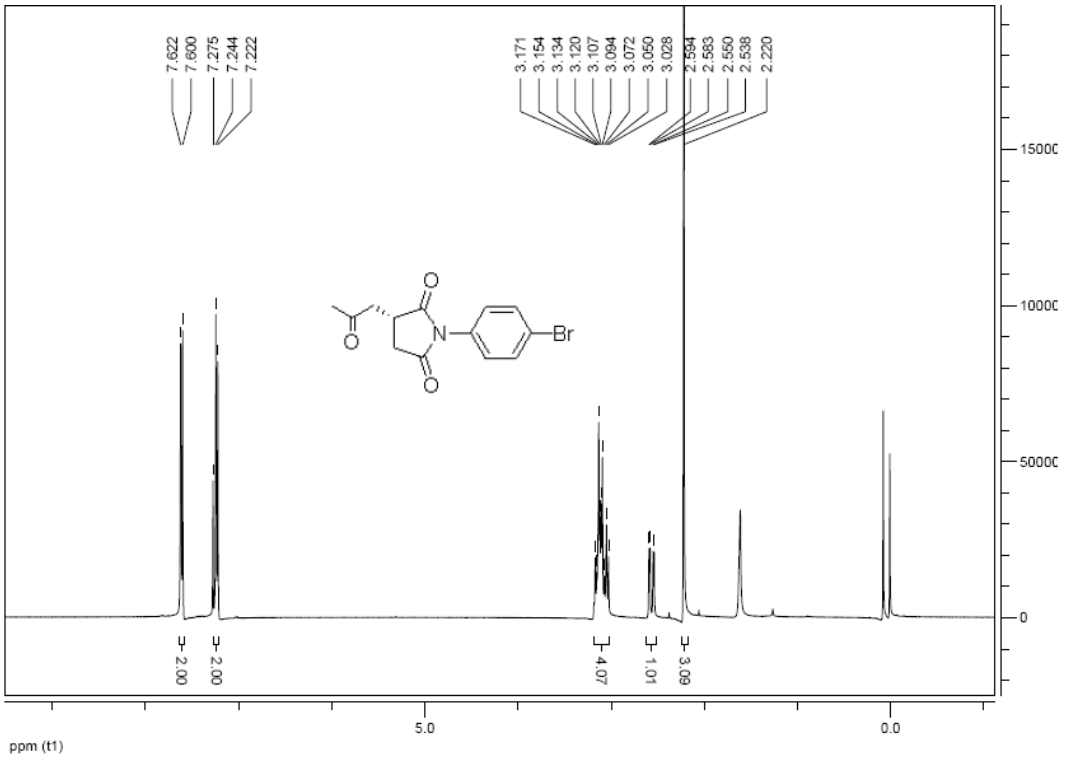


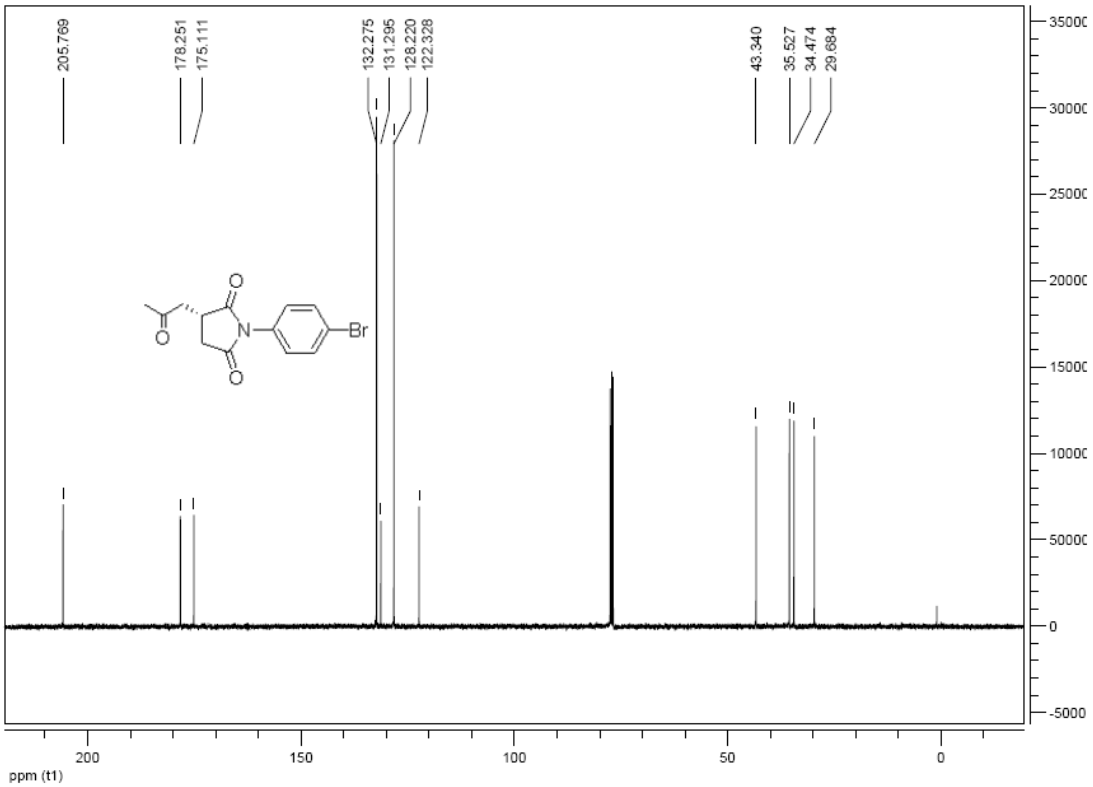
3-(2-oxopropyl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7c)



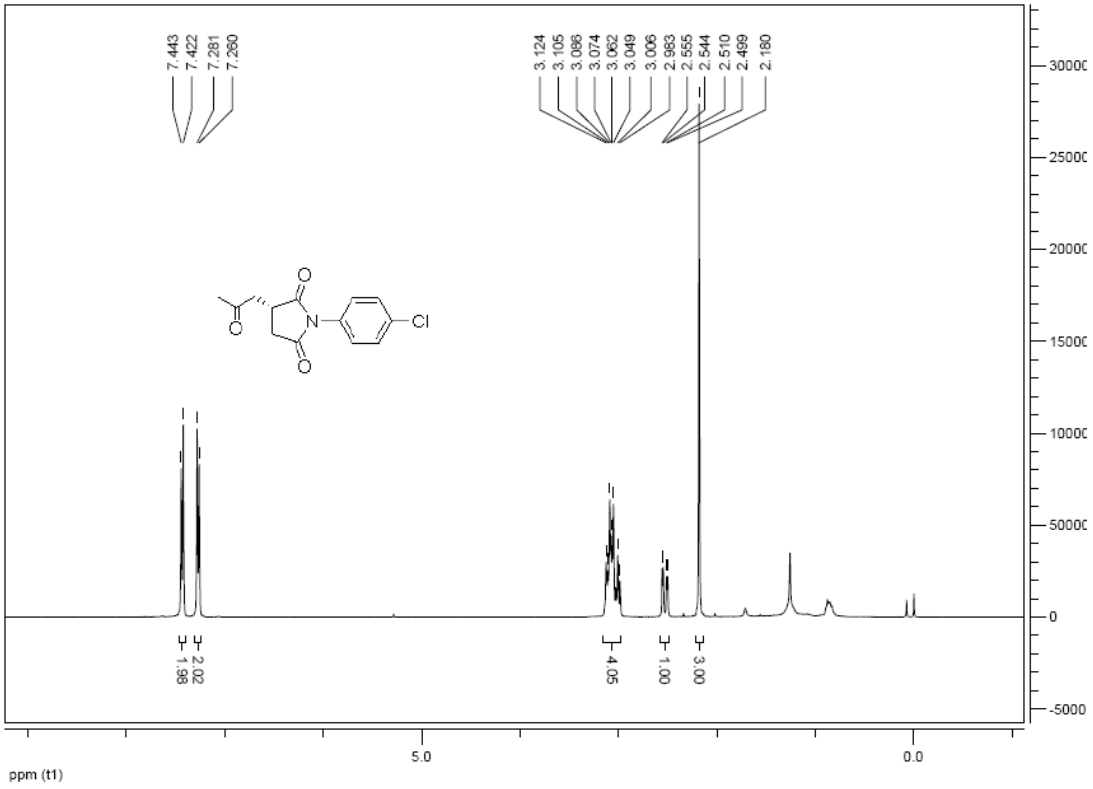


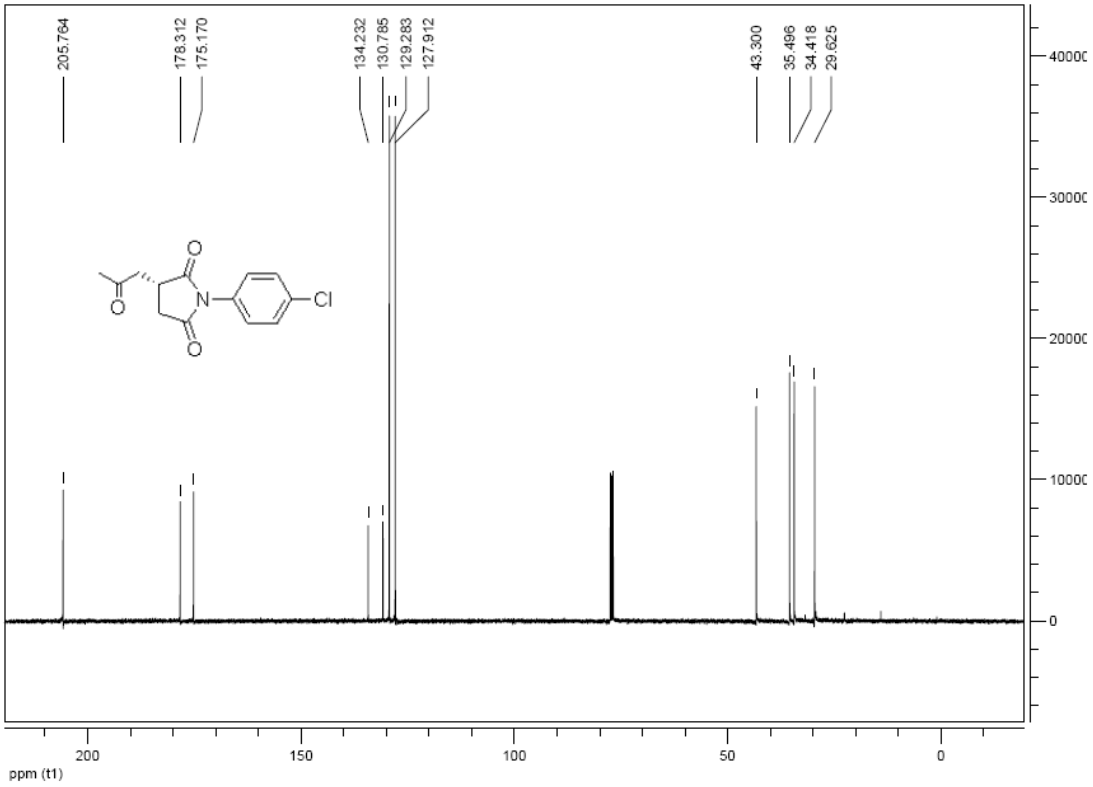
1-(4-bromophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7d)



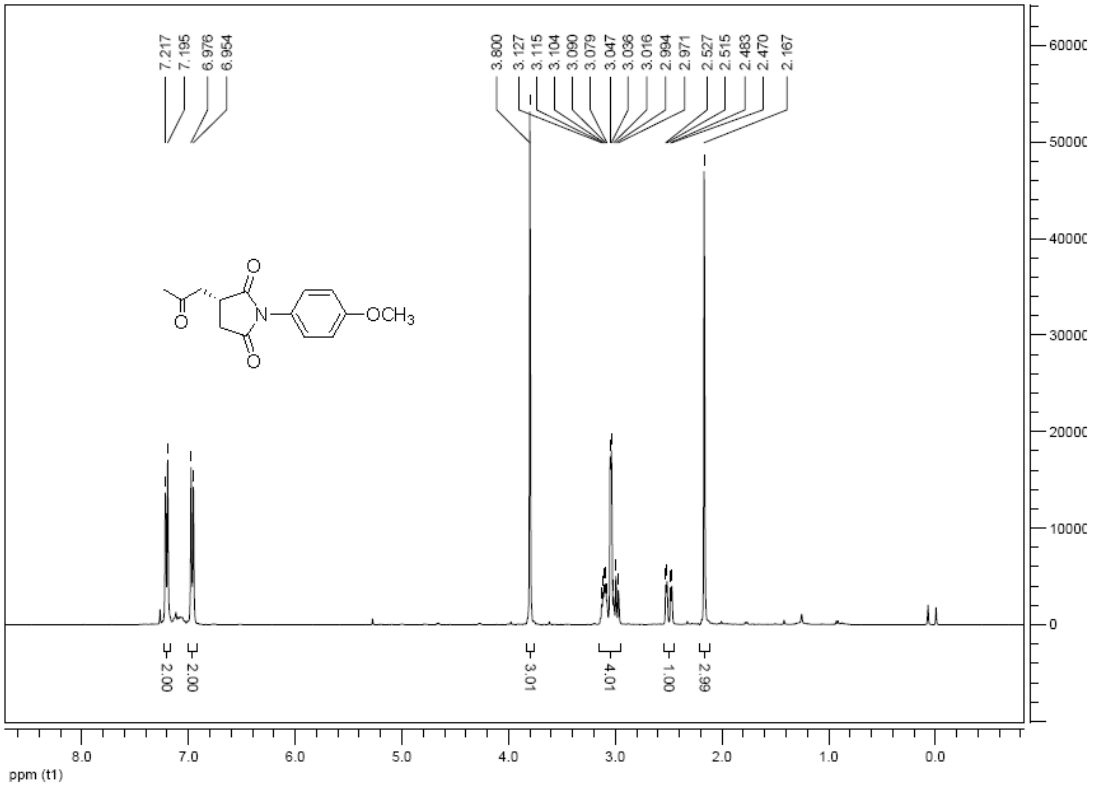


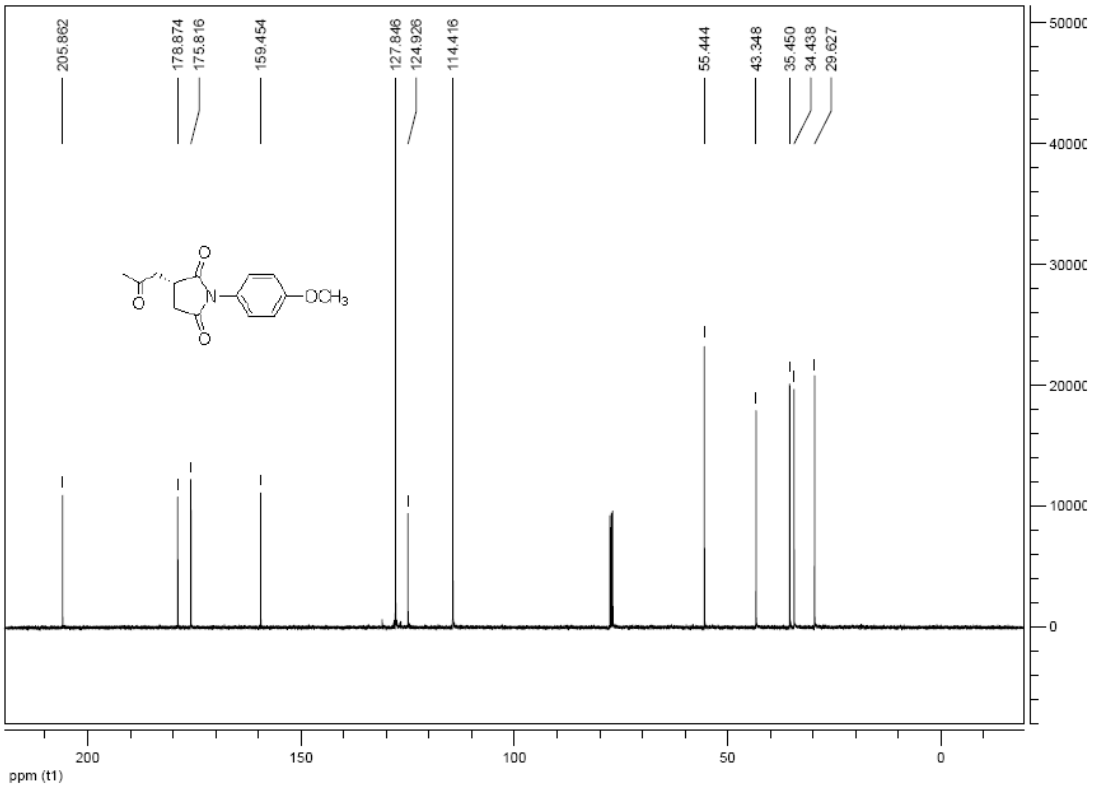
1-(4-chlorophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7e)



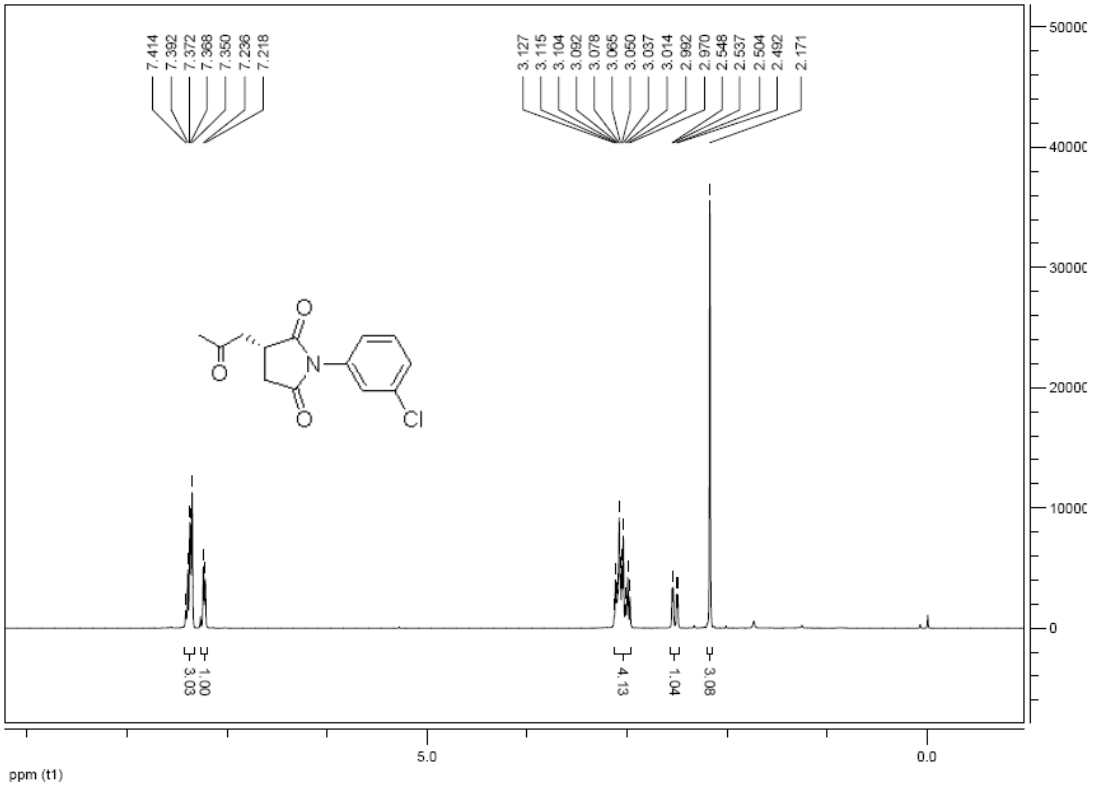


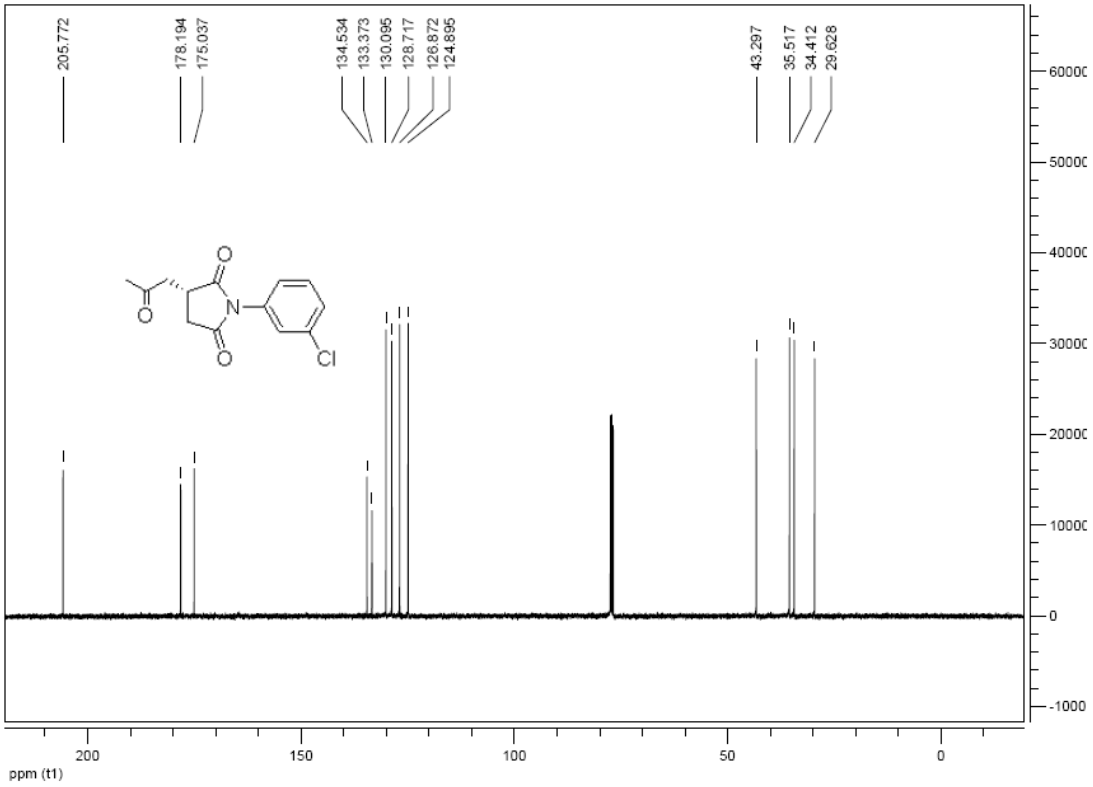
1-(4-methoxyphenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7f)



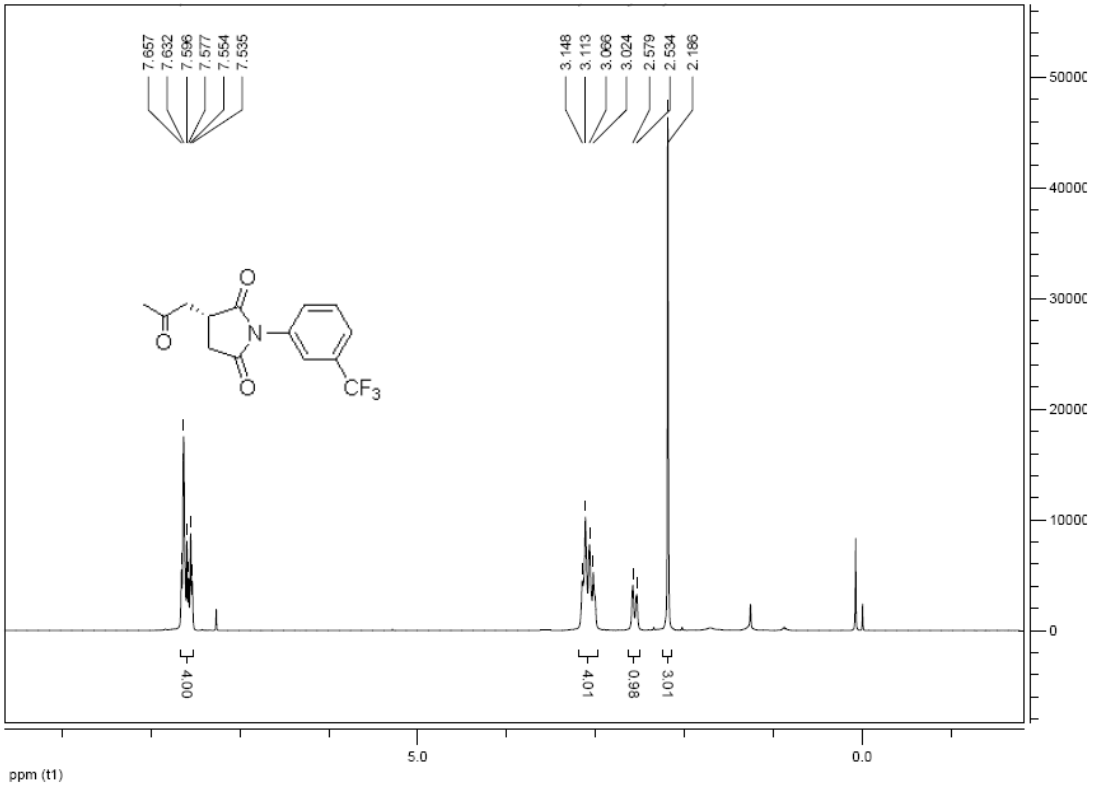


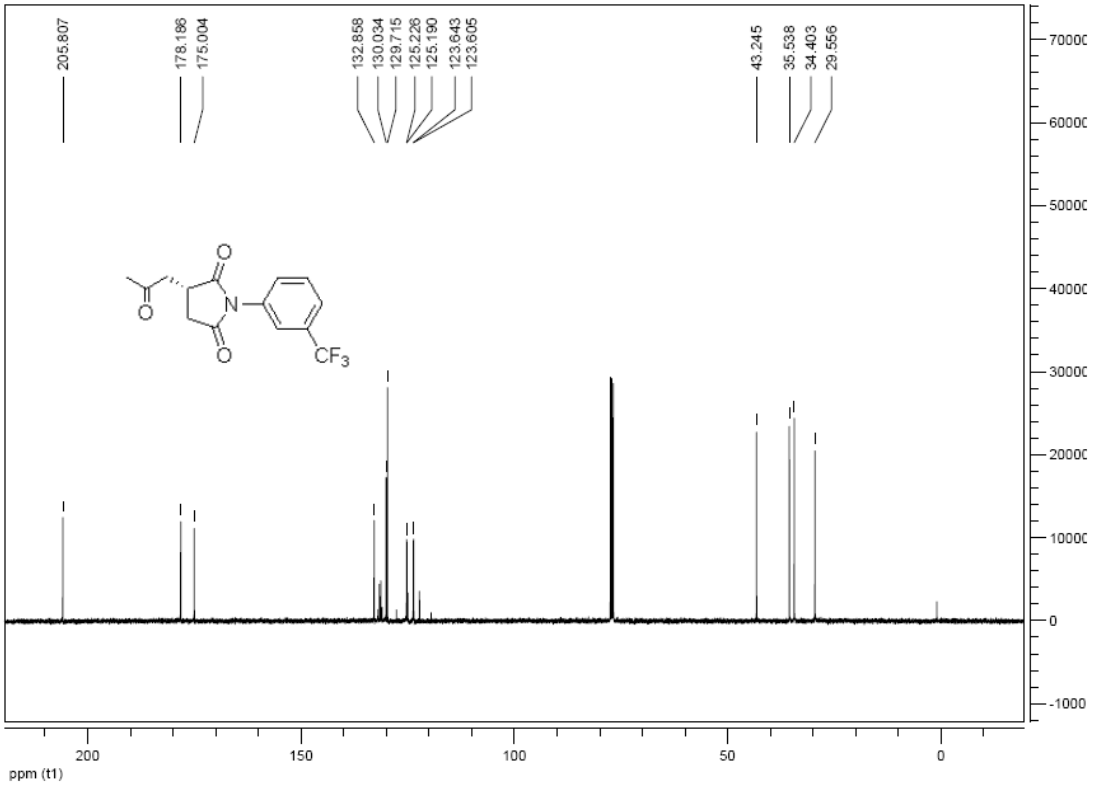
1-(3-chlorophenyl)-3-(2-oxopropyl)pyrrolidine-2,5-dione (7g)



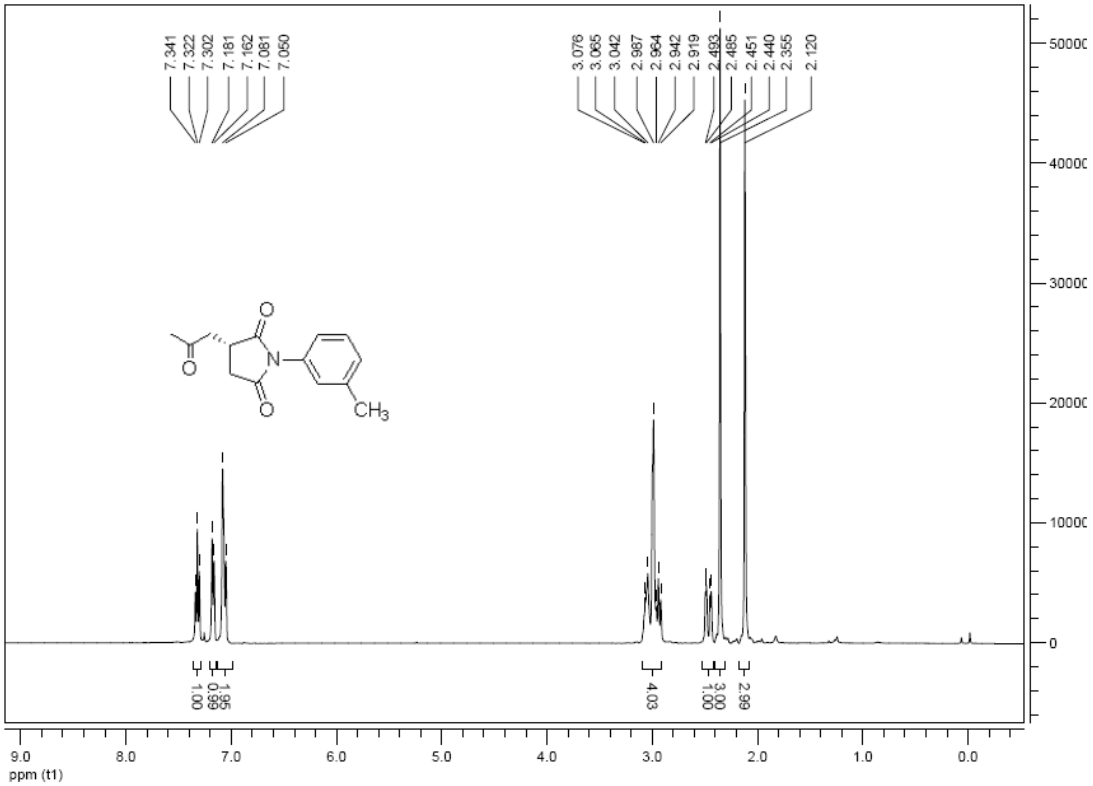


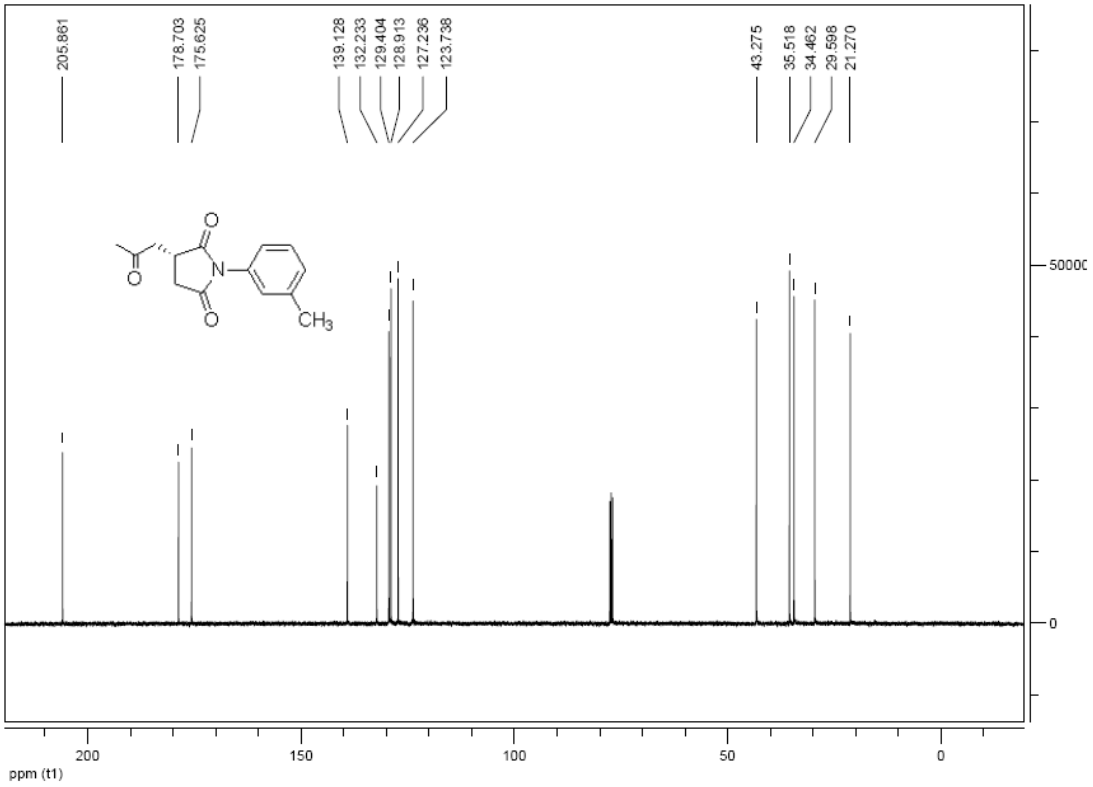
3-(2-oxopropyl)-1-(3-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7h)



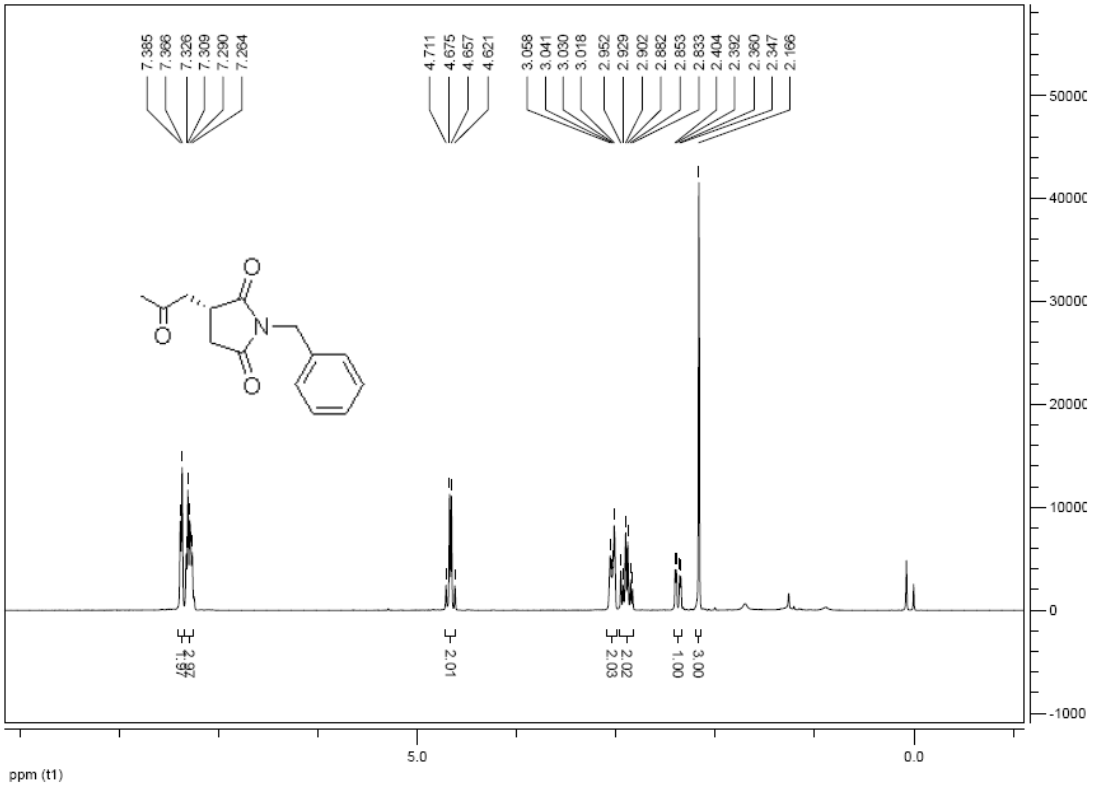


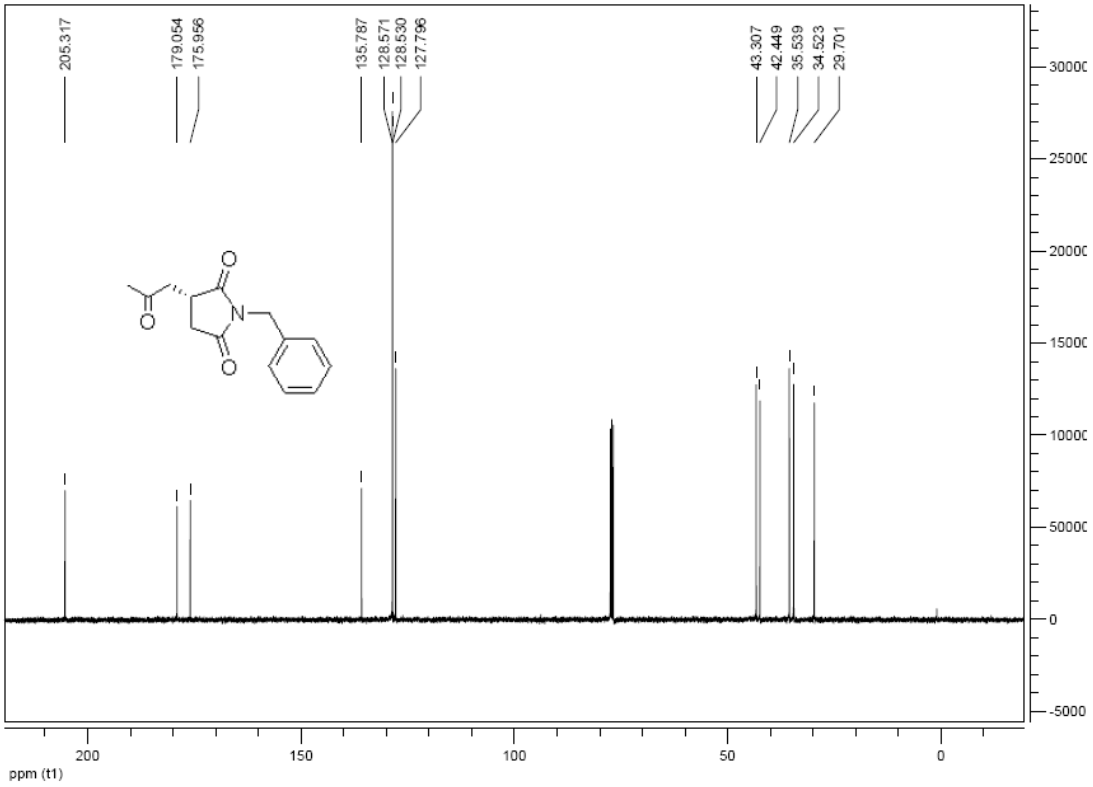
3-(2-oxopropyl)-1-m-tolylpyrrolidine-2,5-dione (7i)



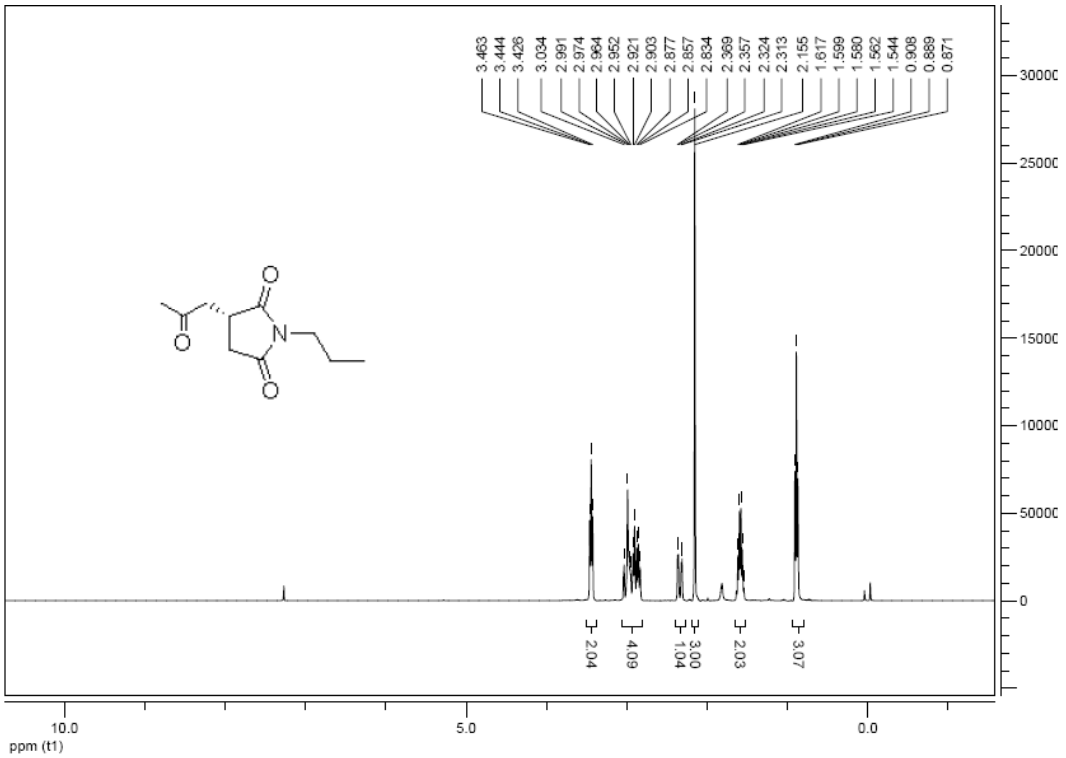


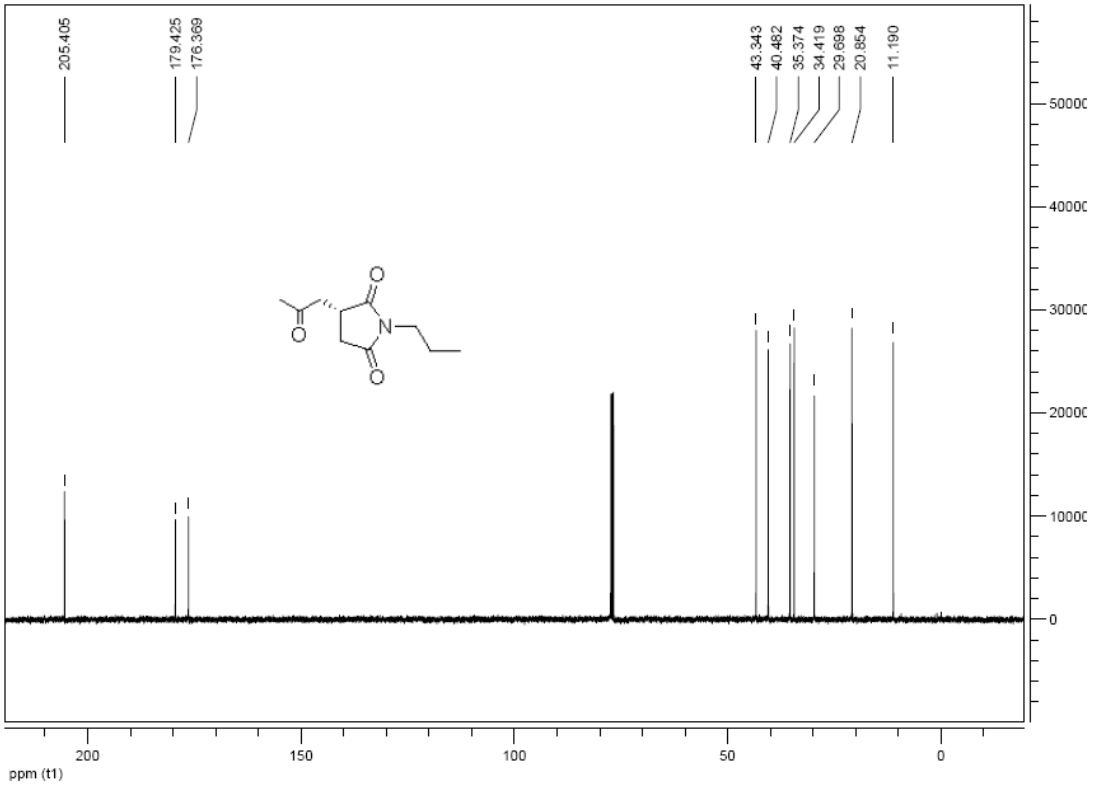
1-benzyl-3-(2-oxopropyl)pyrrolidine-2,5-dione (7j)



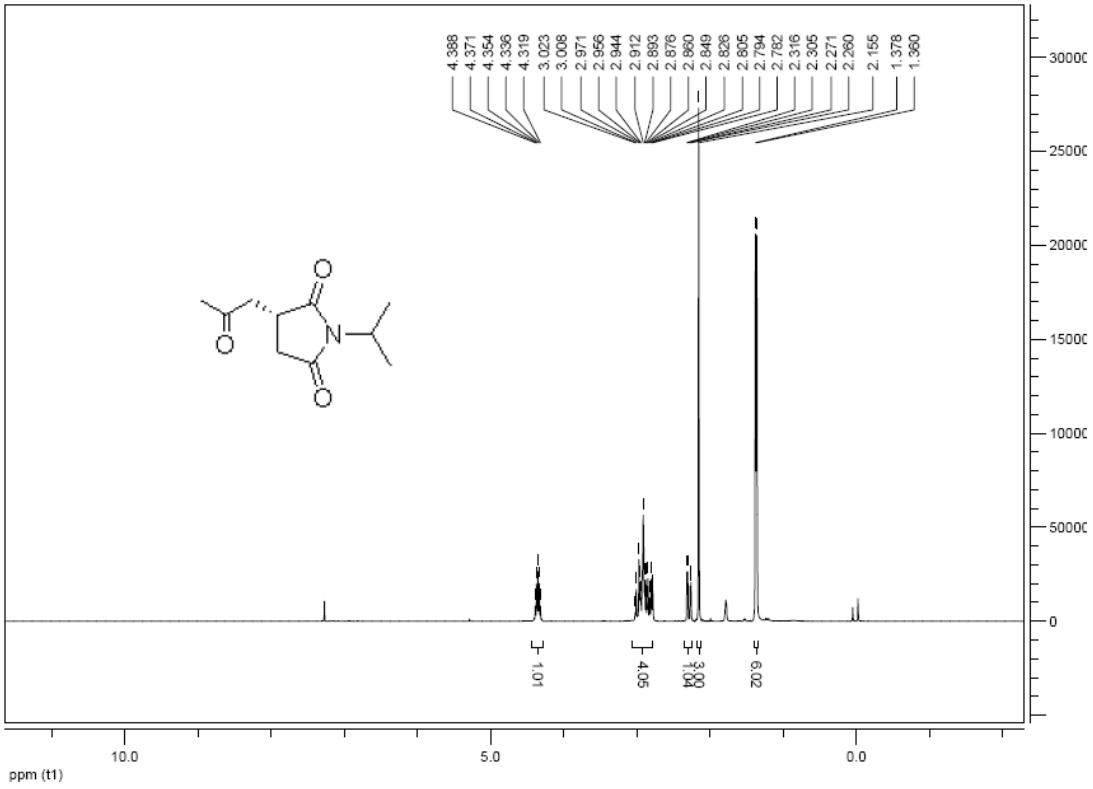


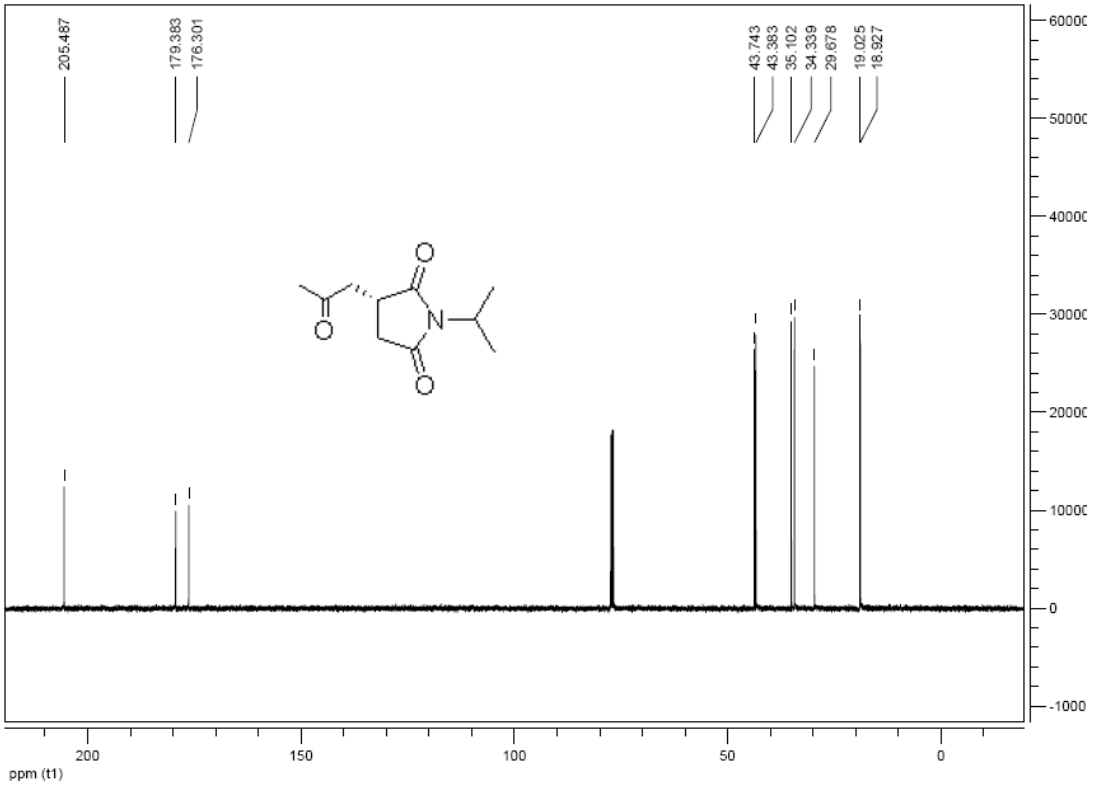
3-(2-oxopropyl)-1-propylpyrrolidine-2,5-dione (7k)



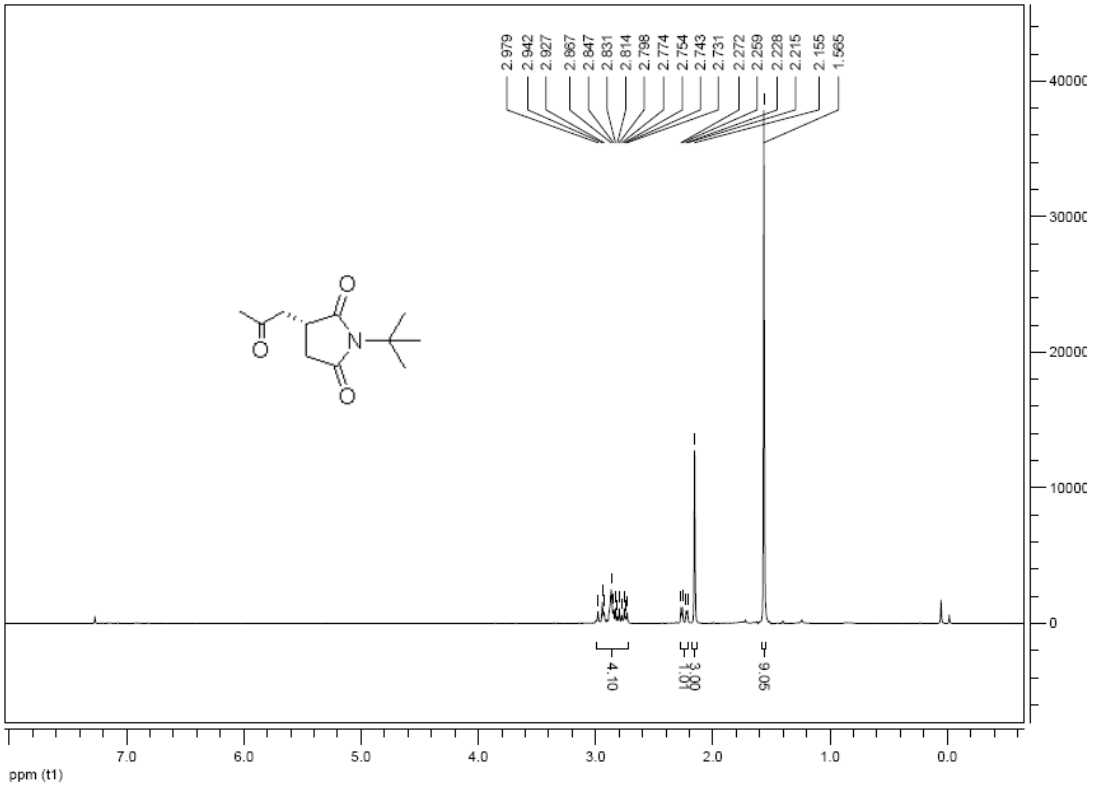


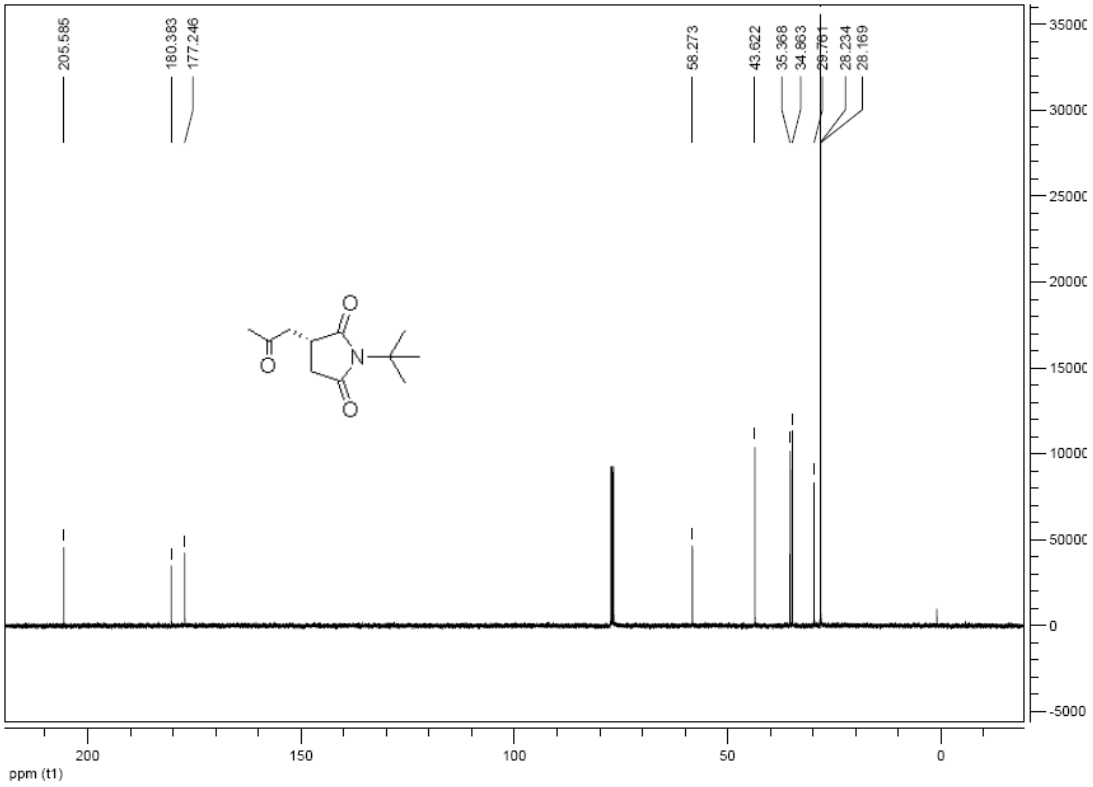
1-isopropyl-3-(2-oxopropyl)pyrrolidine-2,5-dione (7l)



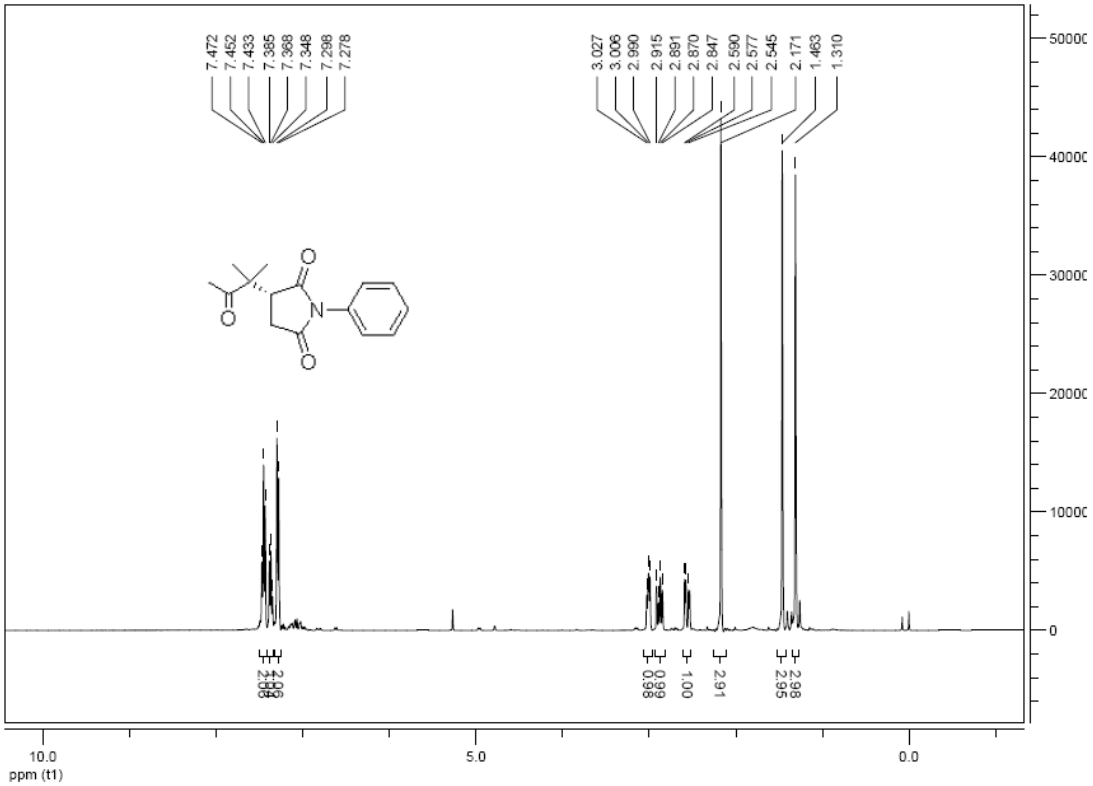


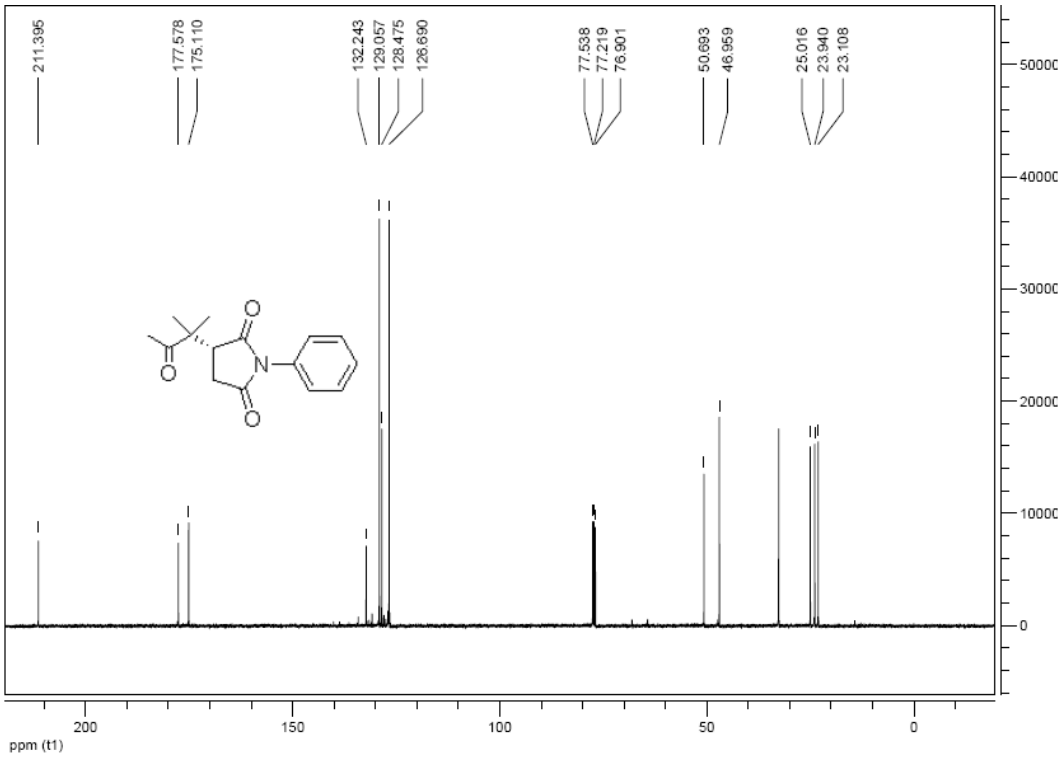
3-(2-oxopropyl)-1-phenylpyrrolidine-2, 5-dione (7m)



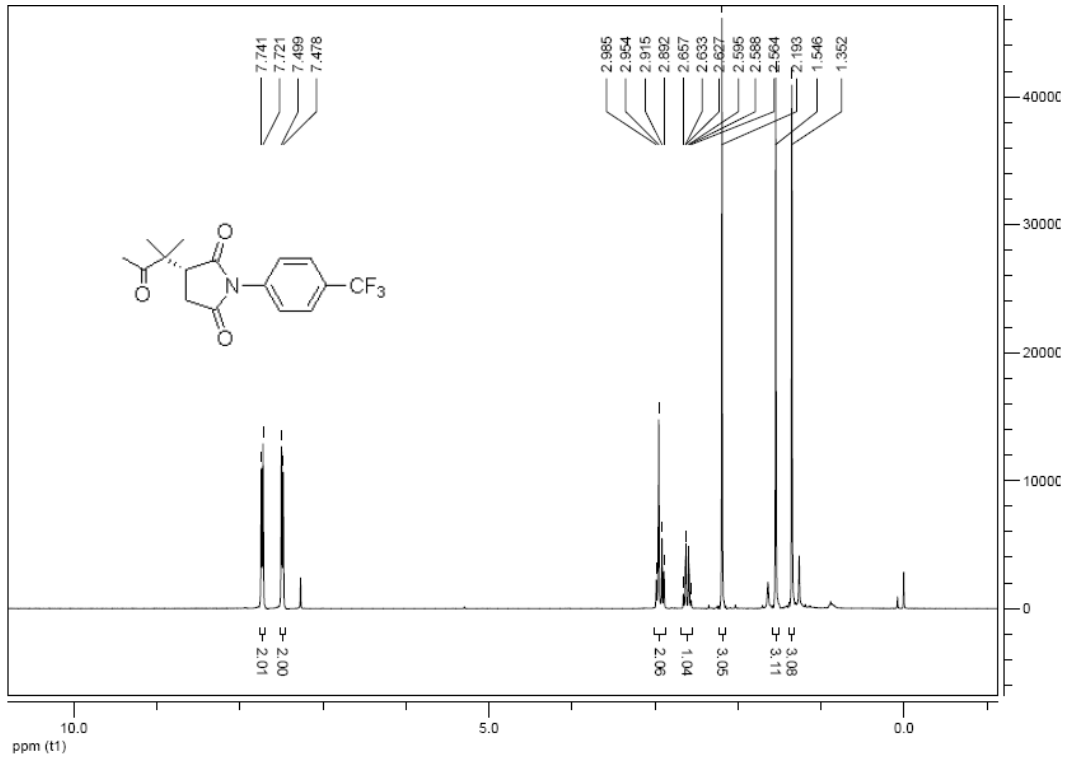


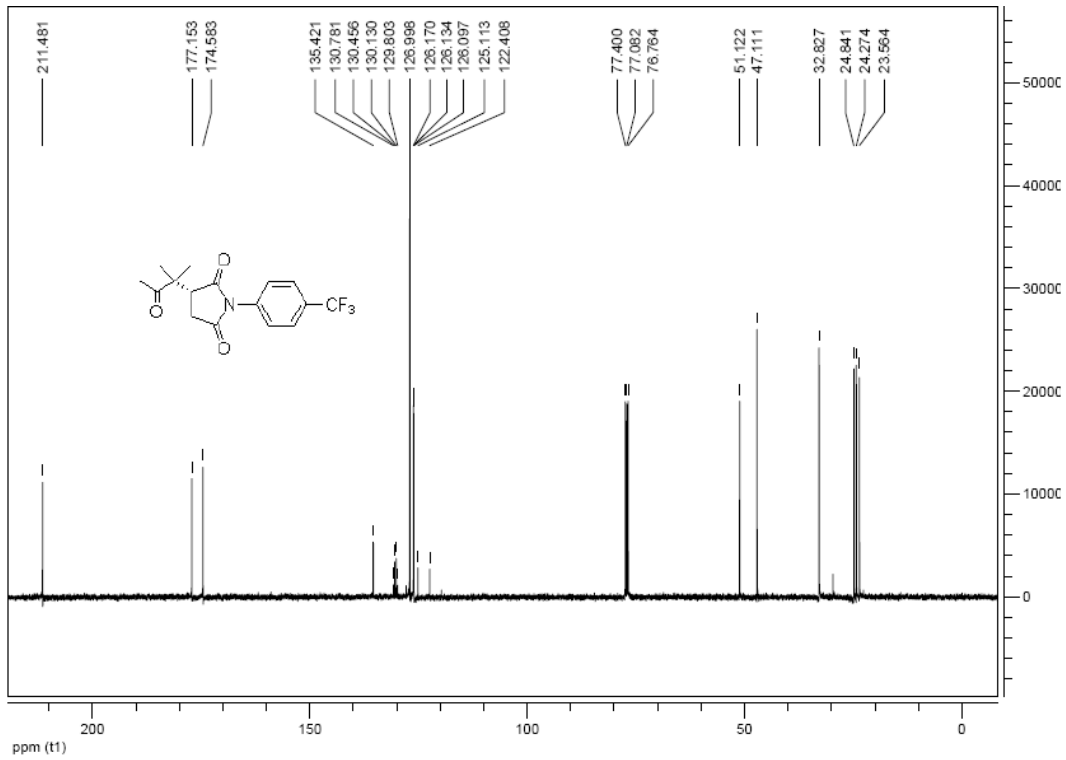
3-(2-methyl-3-oxobutan-2-yl)-1-phenylpyrrolidine-2, 5-dione (7n)



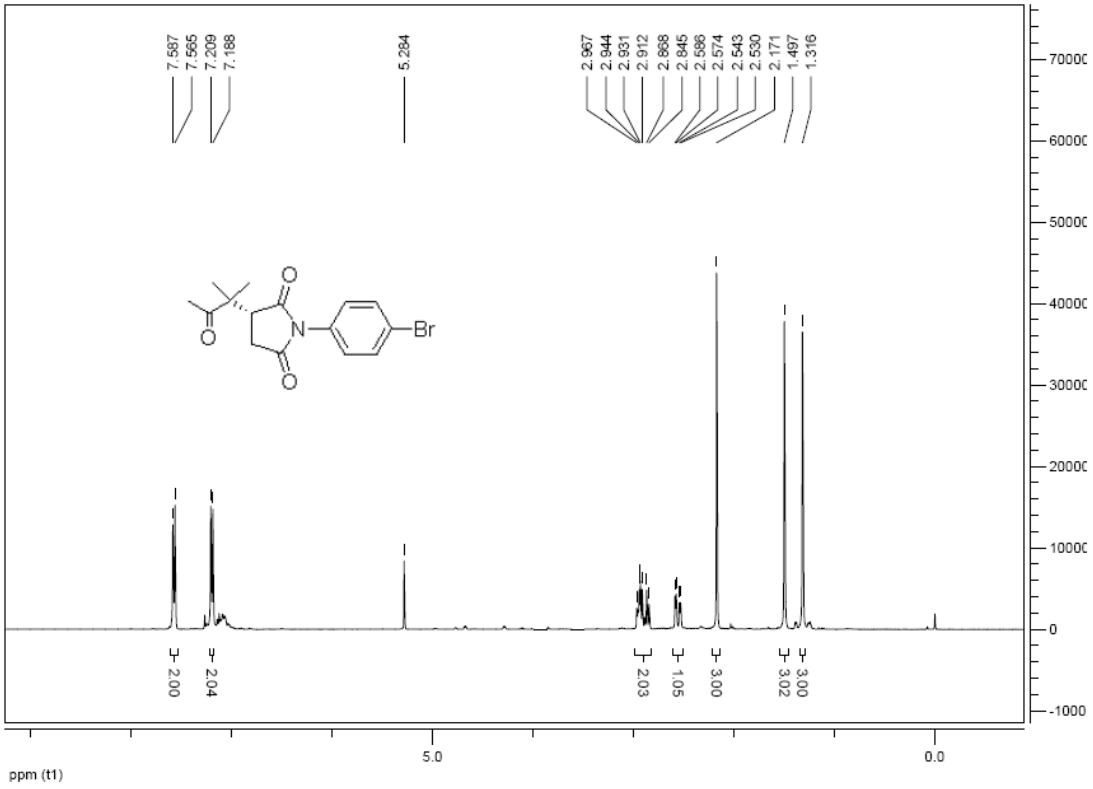


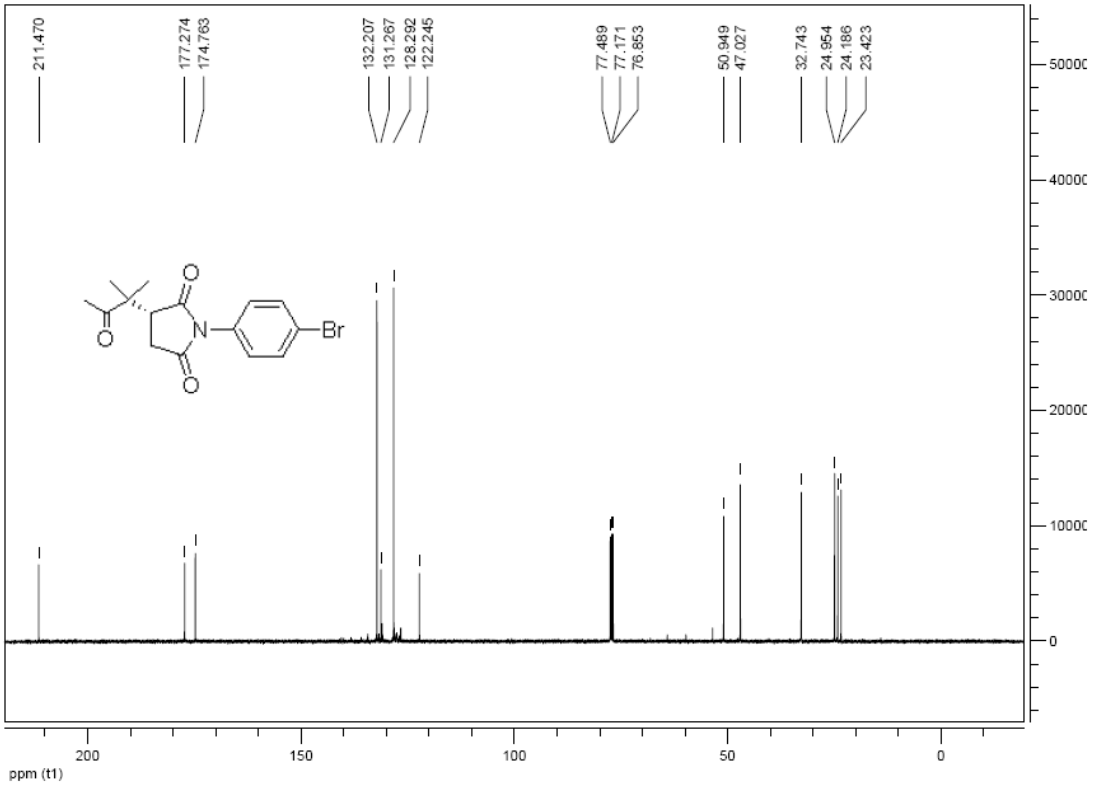
3-(2-methyl-3-oxobutan-2-yl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (7o)



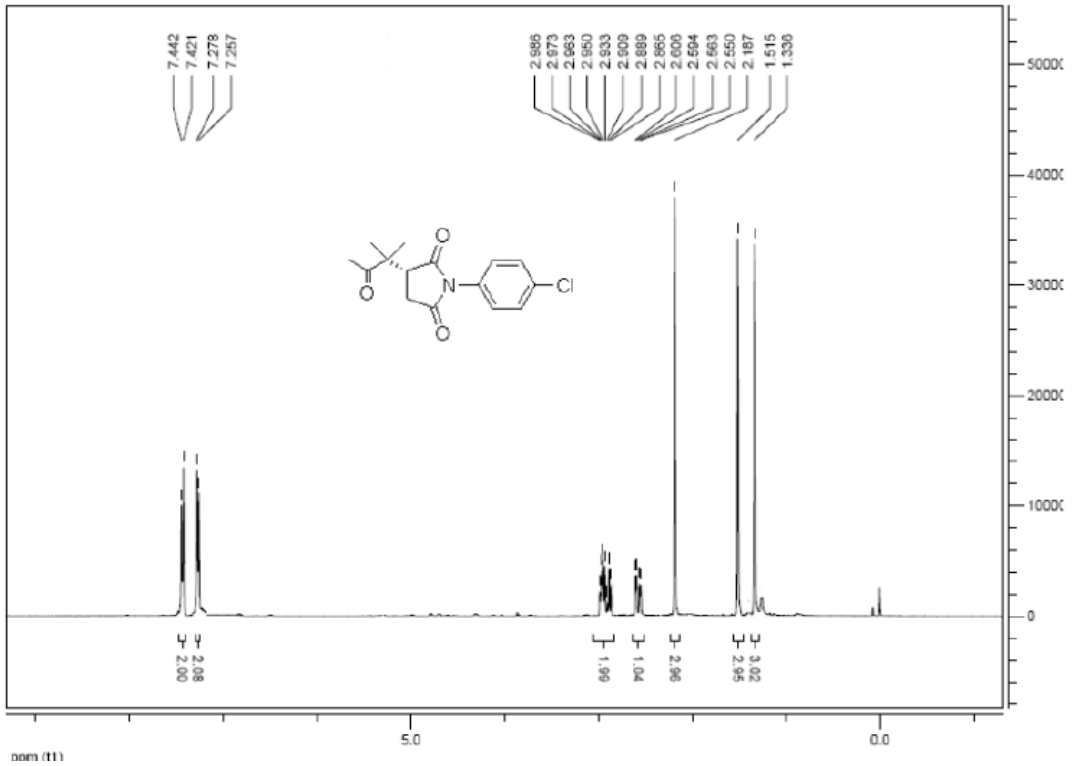


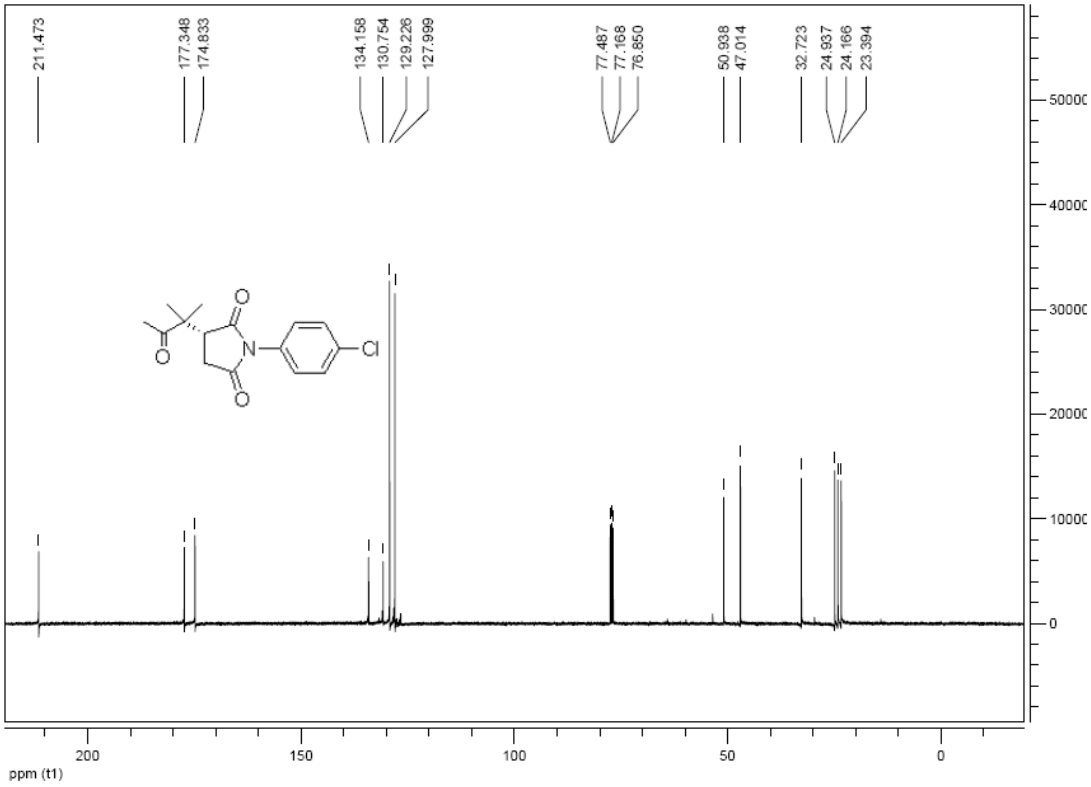
1-(4-bromophenyl)-3-(2-methyl-3-oxobutan-2-yl) pyrrolidine-2, 5-dione (7p)



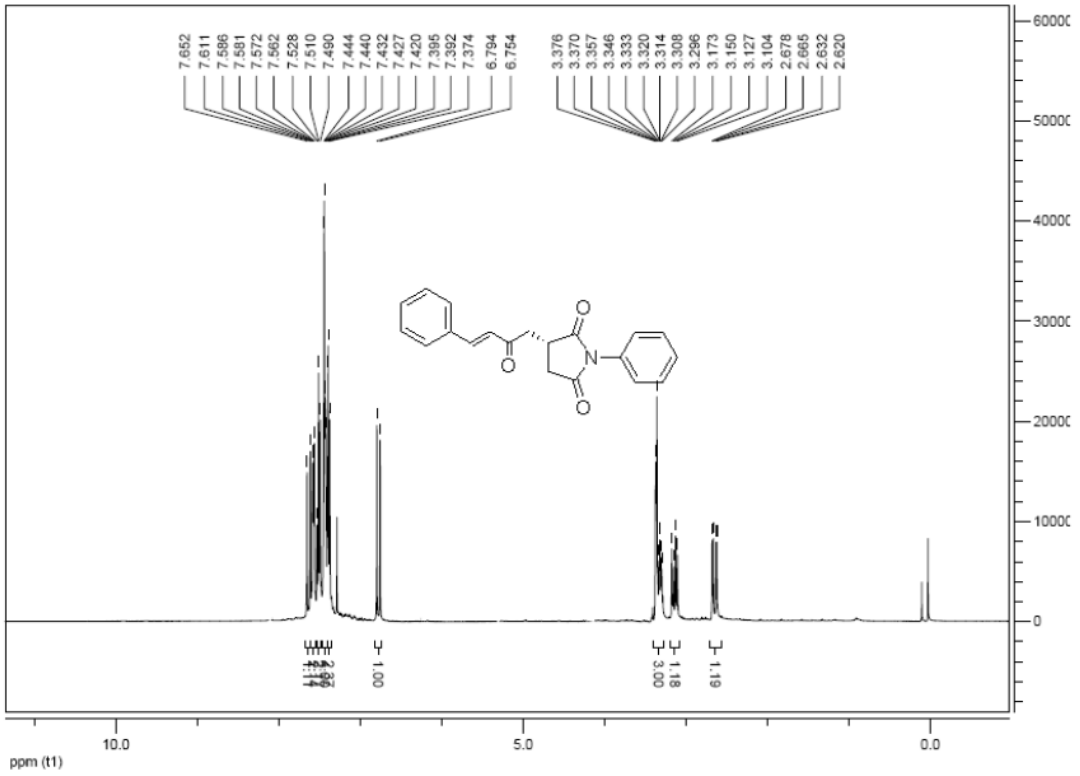


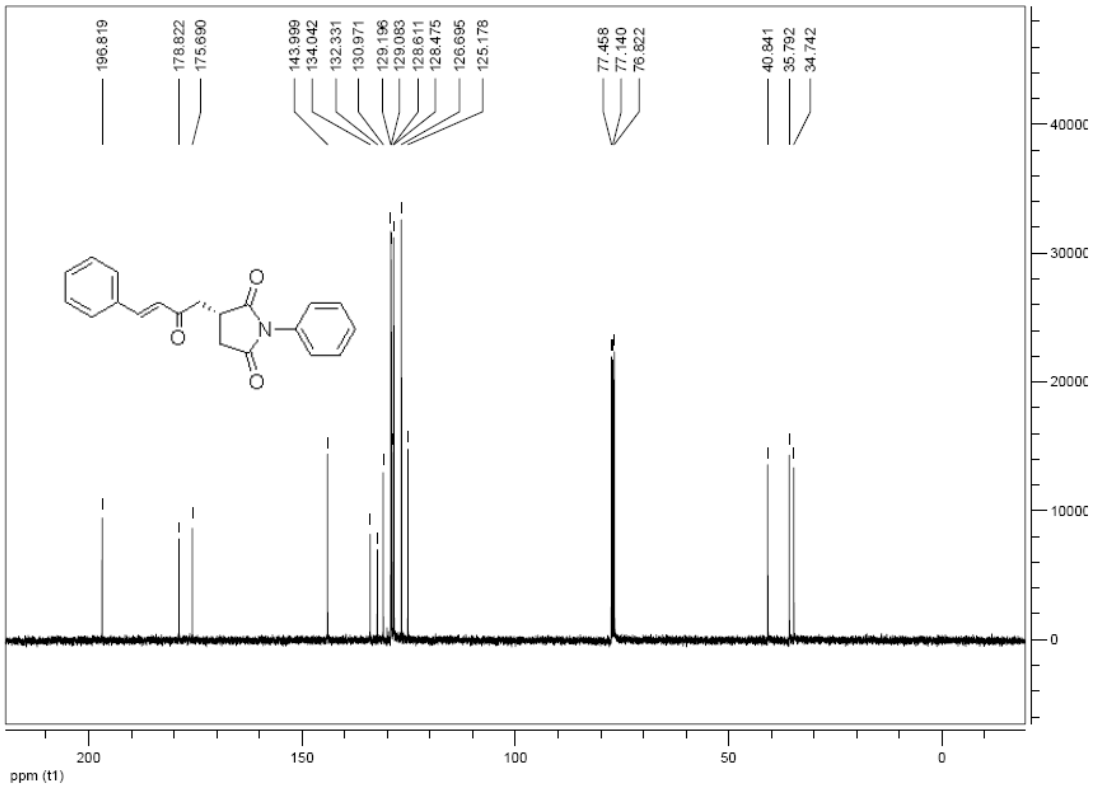
1-(4-chlorophenyl)-3-(2-methyl-3-oxobutan-2-yl) pyrrolidine-2, 5-dione (7q)



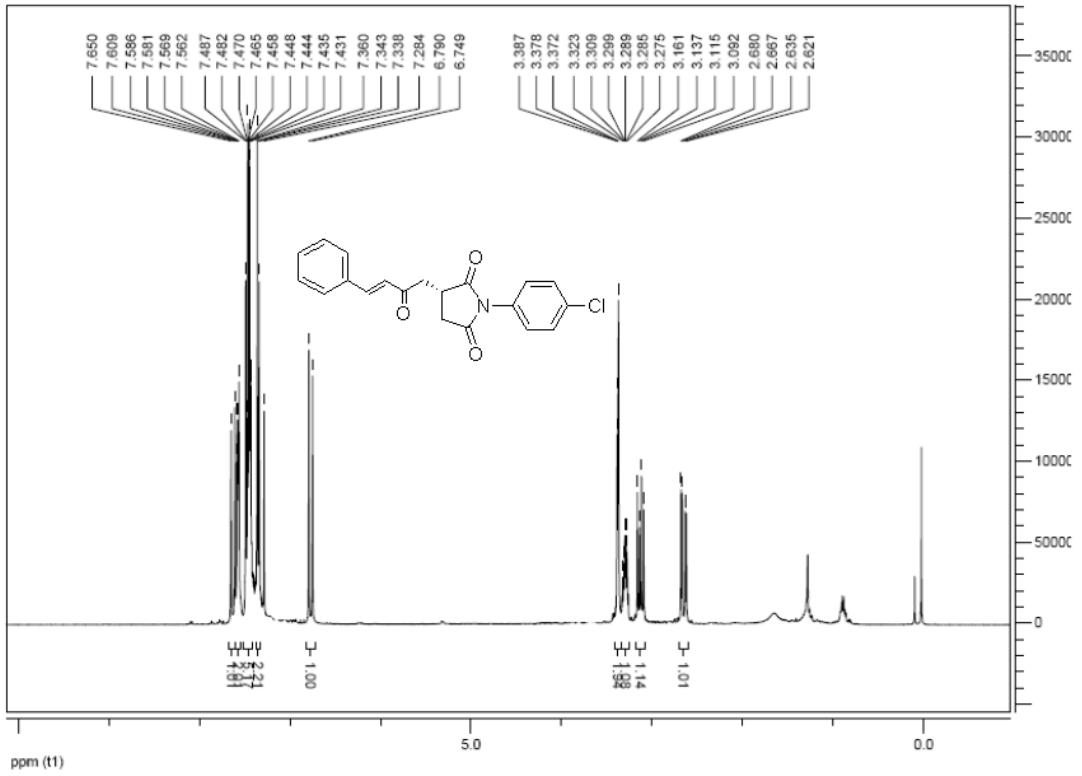


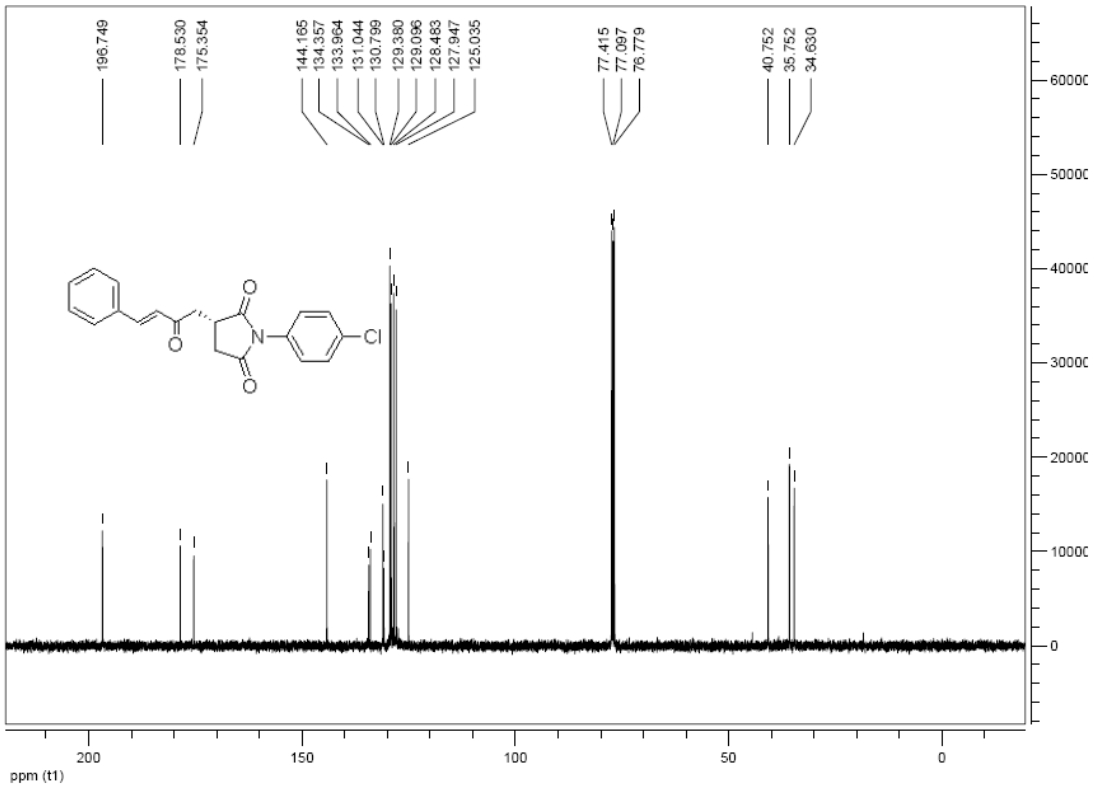
(*E*)-3-(2-oxo-4-phenylbut-3-enyl)-1-phenylpyrrolidine-2, 5-dione (7r)



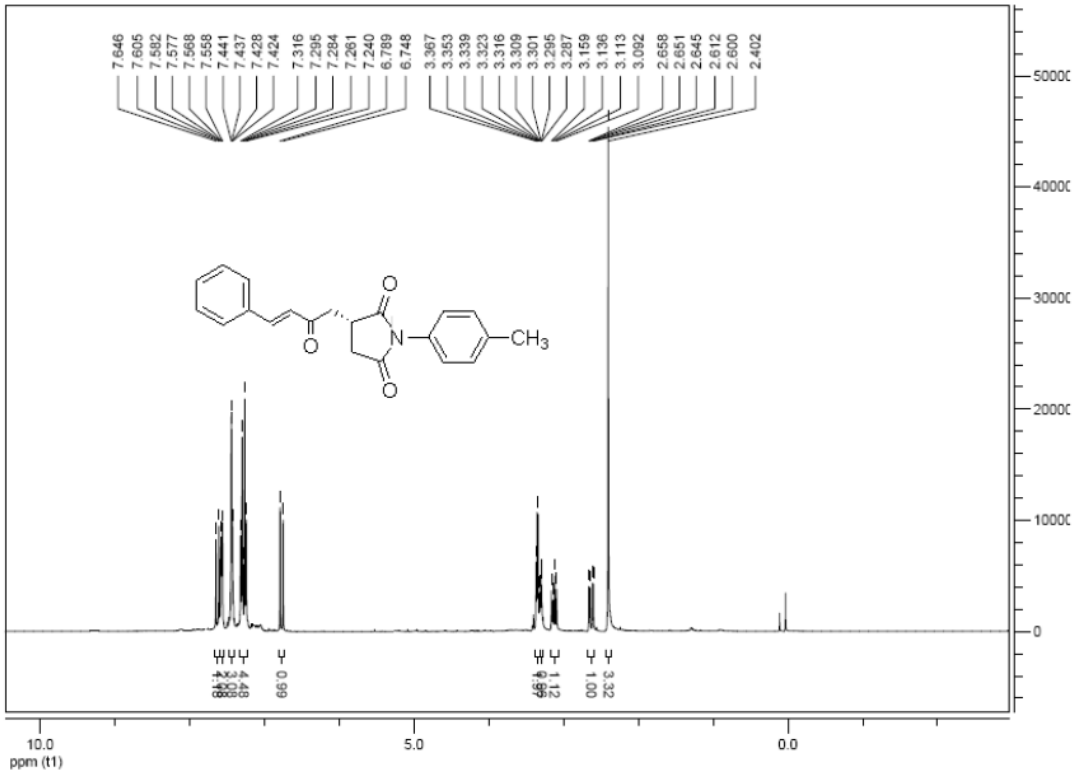


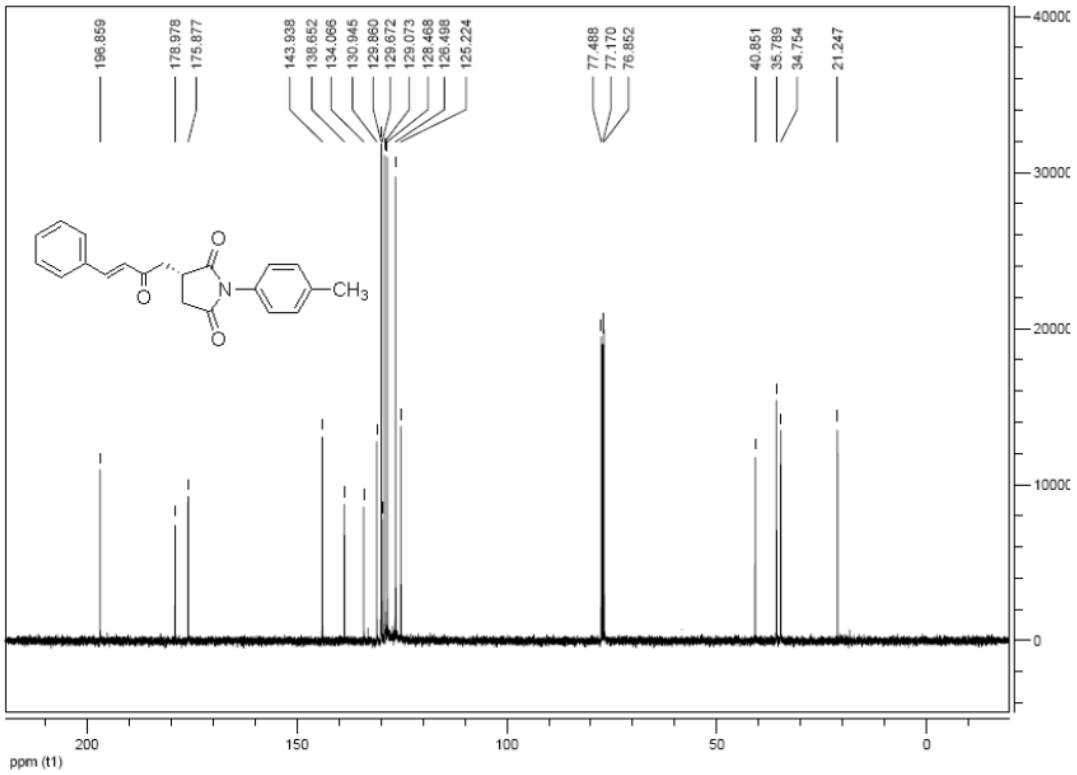
(*E*)-1-(4-chlorophenyl)-3-(2-oxo-4-phenylbut-3-enyl)pyrrolidine-2,5-dione (7s)



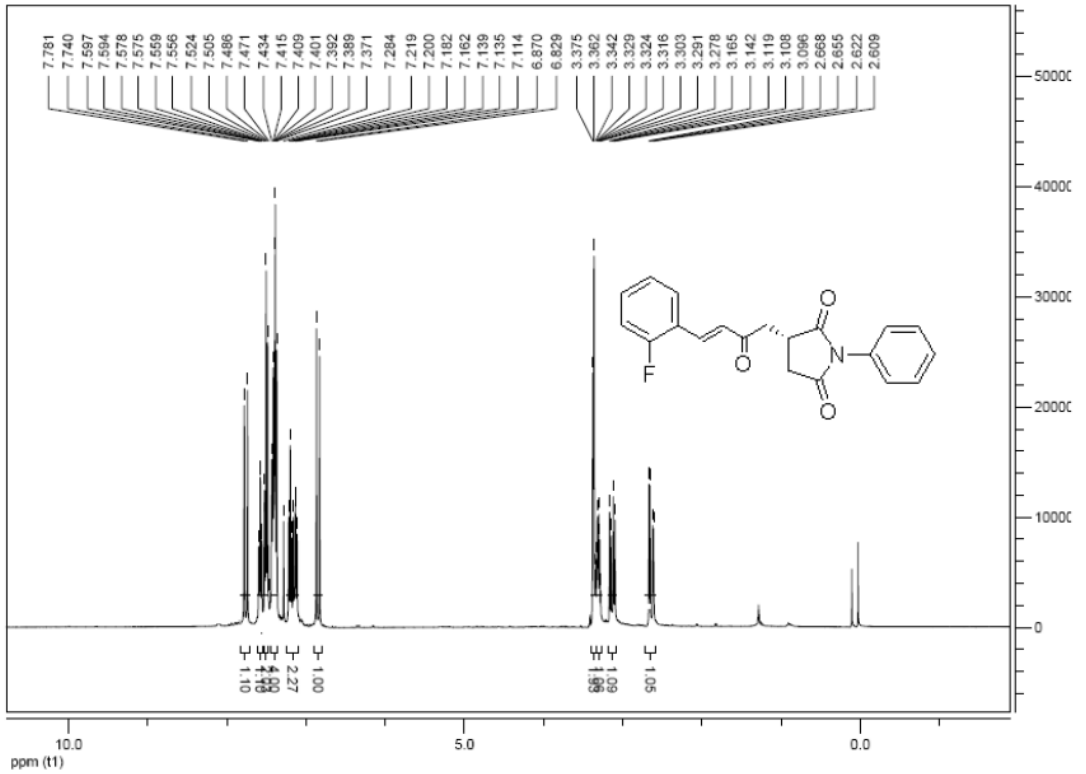


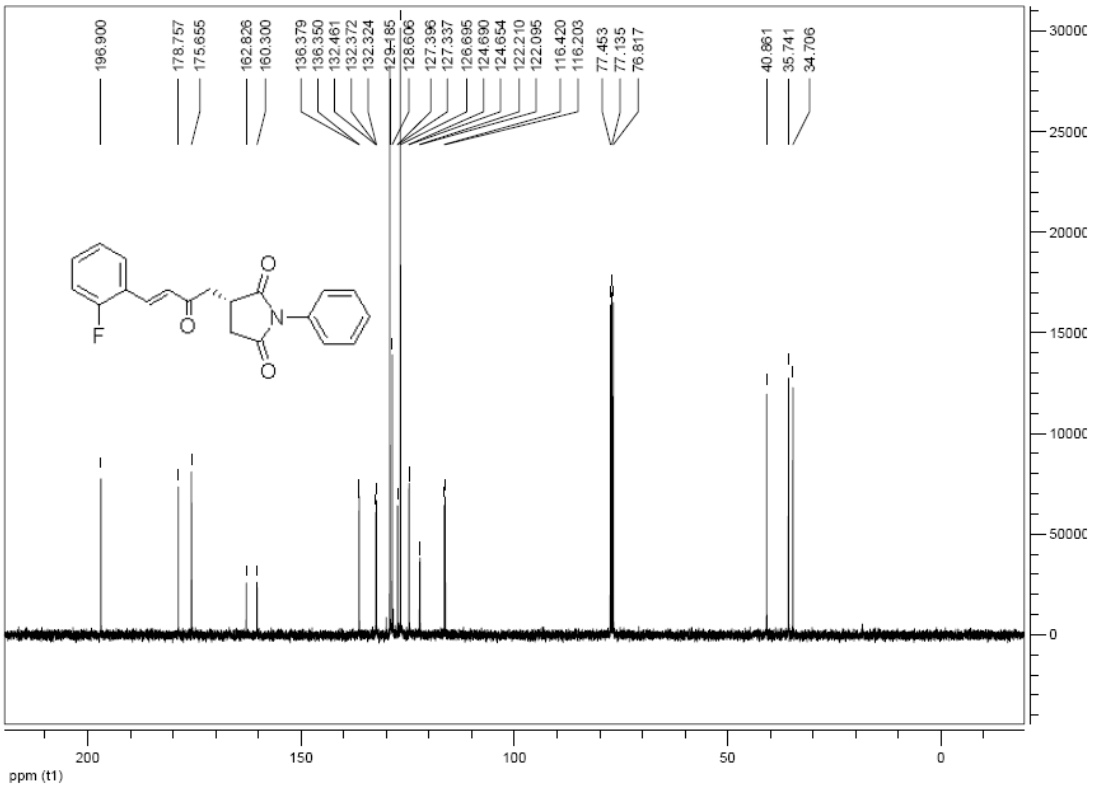
(*E*)-3-(2-oxo-4-phenylbut-3-enyl)-1-p-tolylpyrrolidine-2,5-dione (7t)



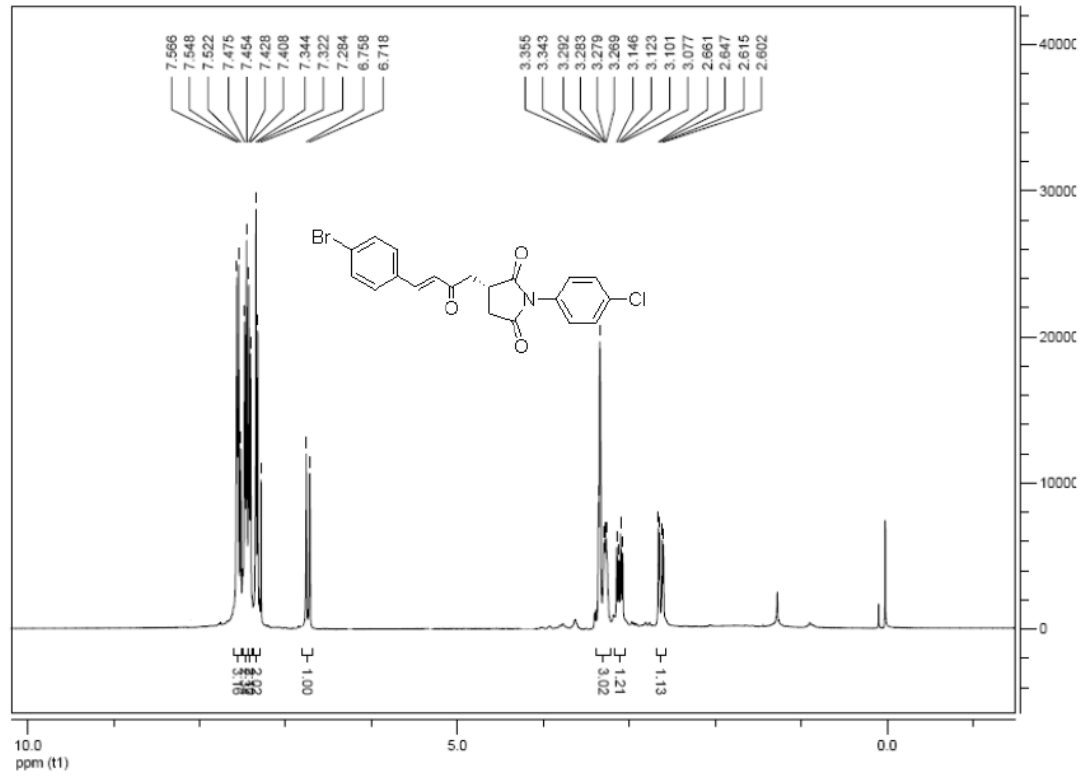


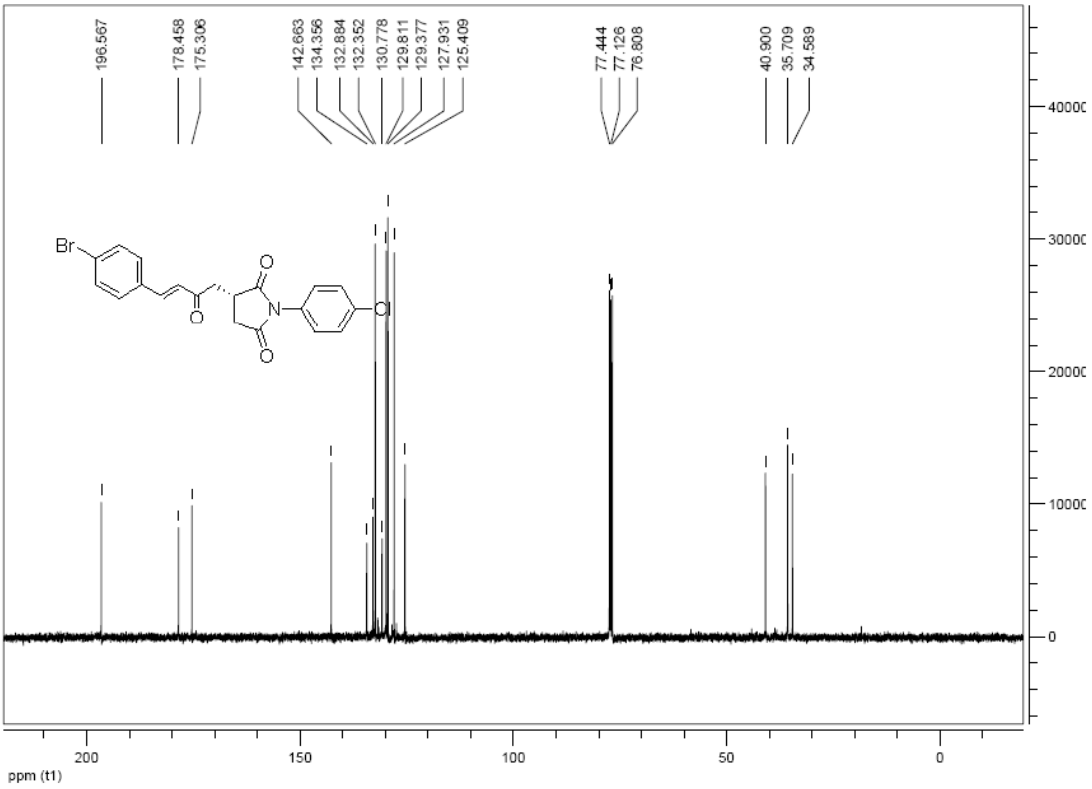
(*E*)-3-(4-(2-fluorophenyl)-2-oxobut-3-enyl)-1-phenylpyrrolidine-2, 5-dione (7u)



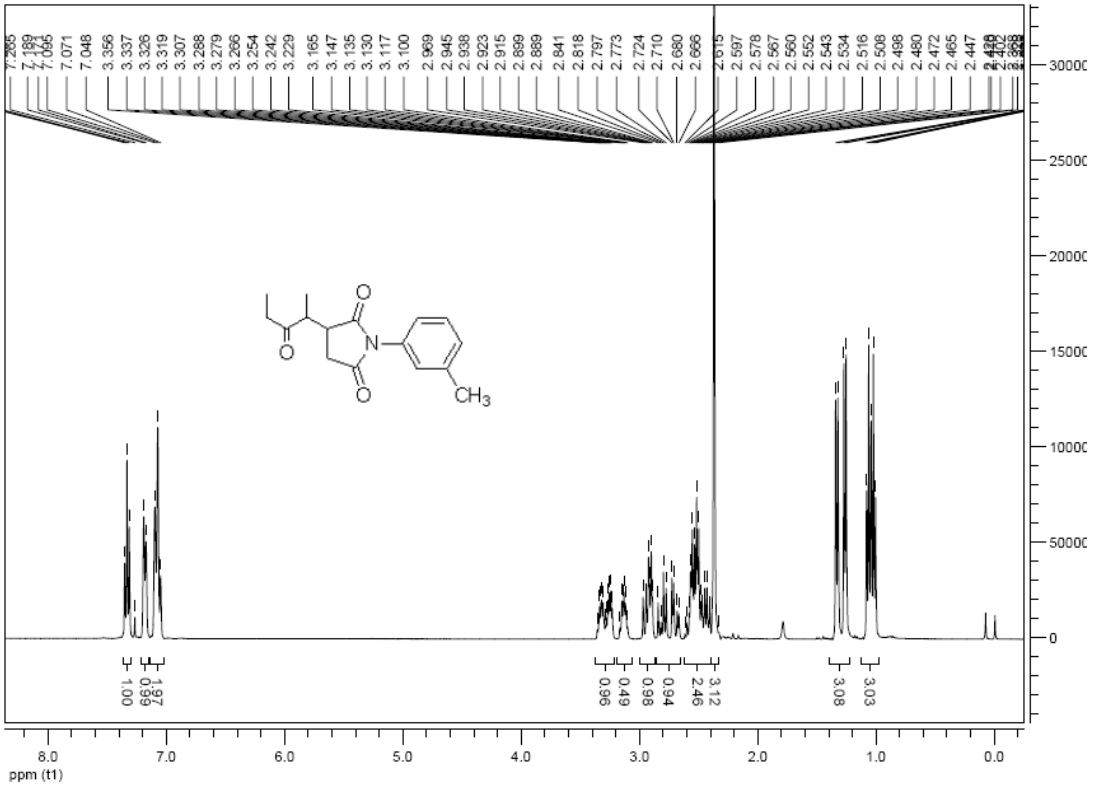


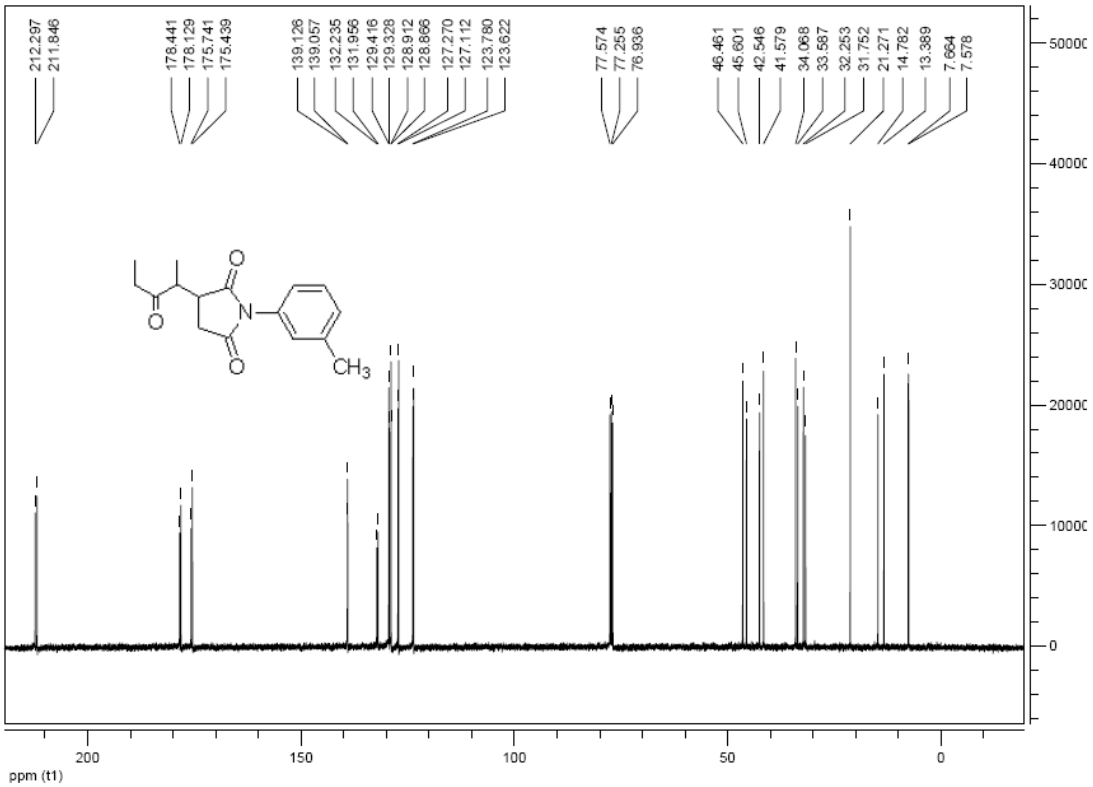
(*E*)-3-(4-(4-bromophenyl)-2-oxobut-3-enyl)-1-(4-chlorophenyl)pyrrolidine-2,5-dione (7v)



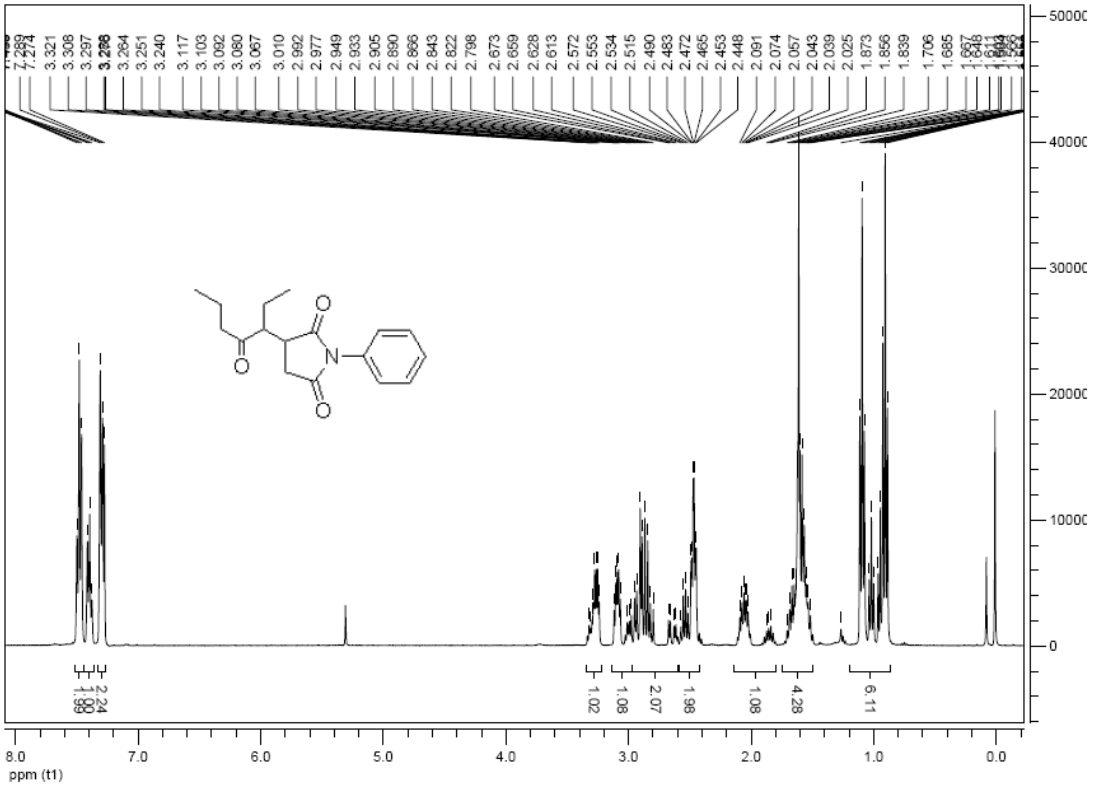


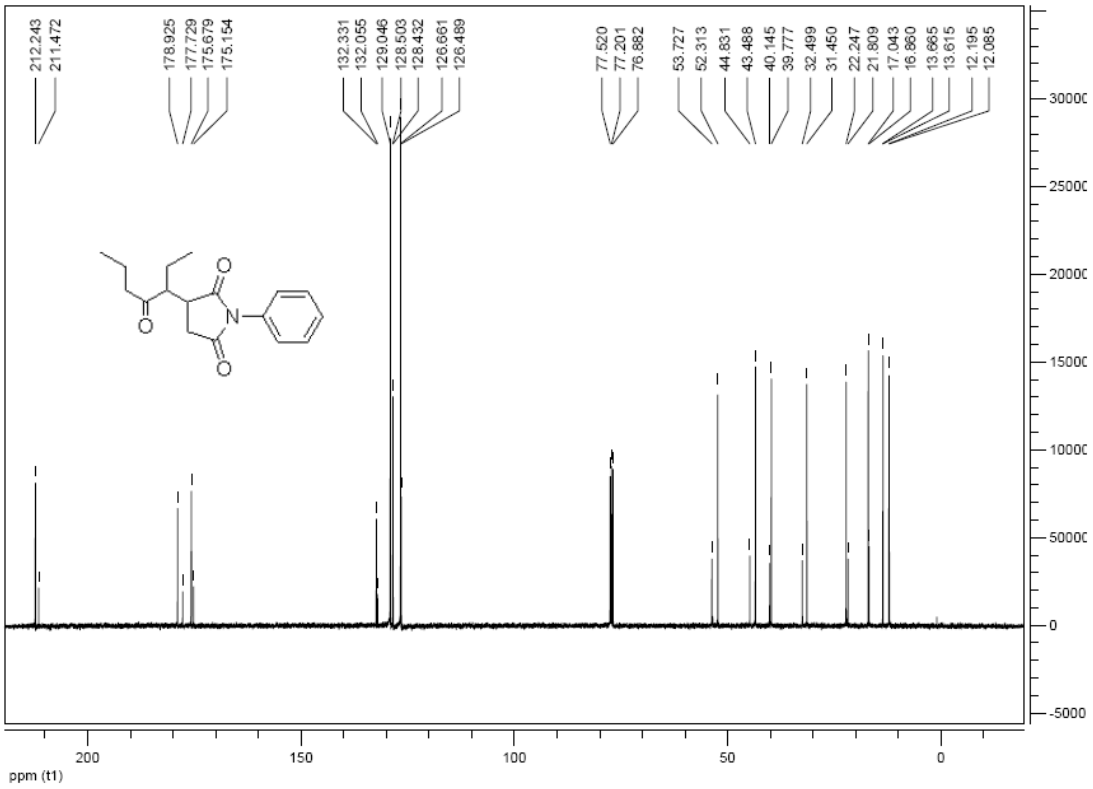
3-(3-oxopentan-2-yl)-1-m-tolylpyrrolidine-2, 5-dione (9a)



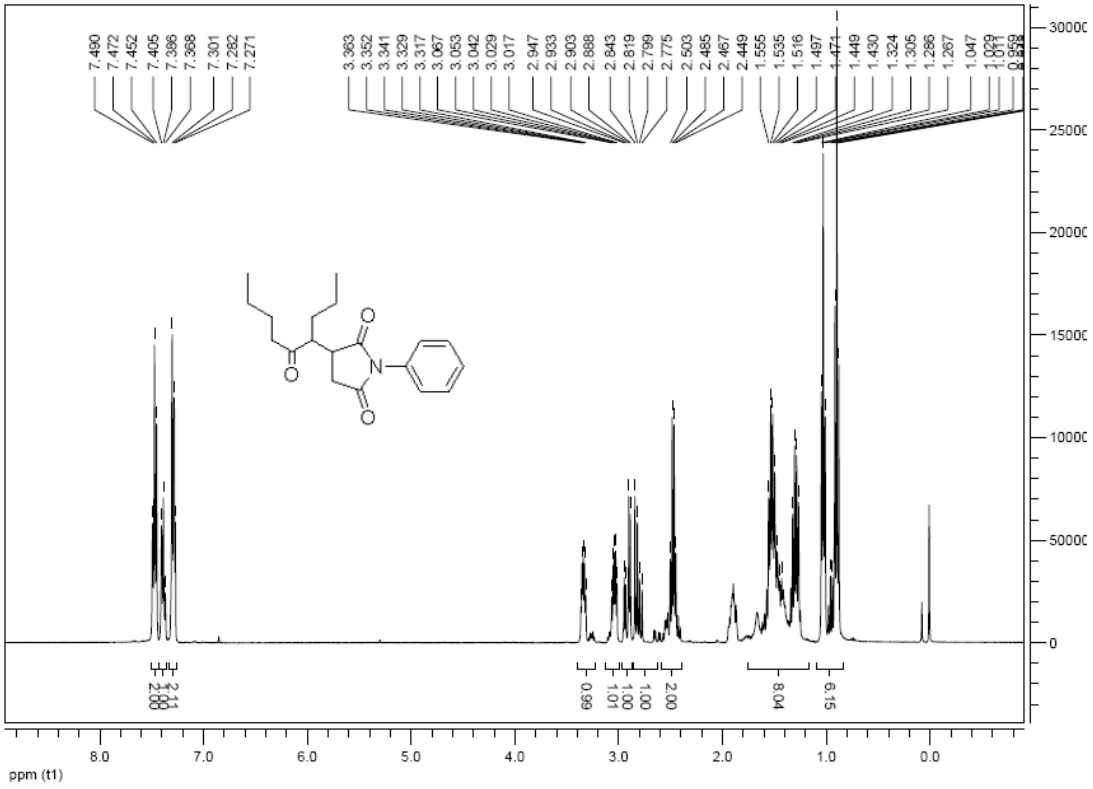


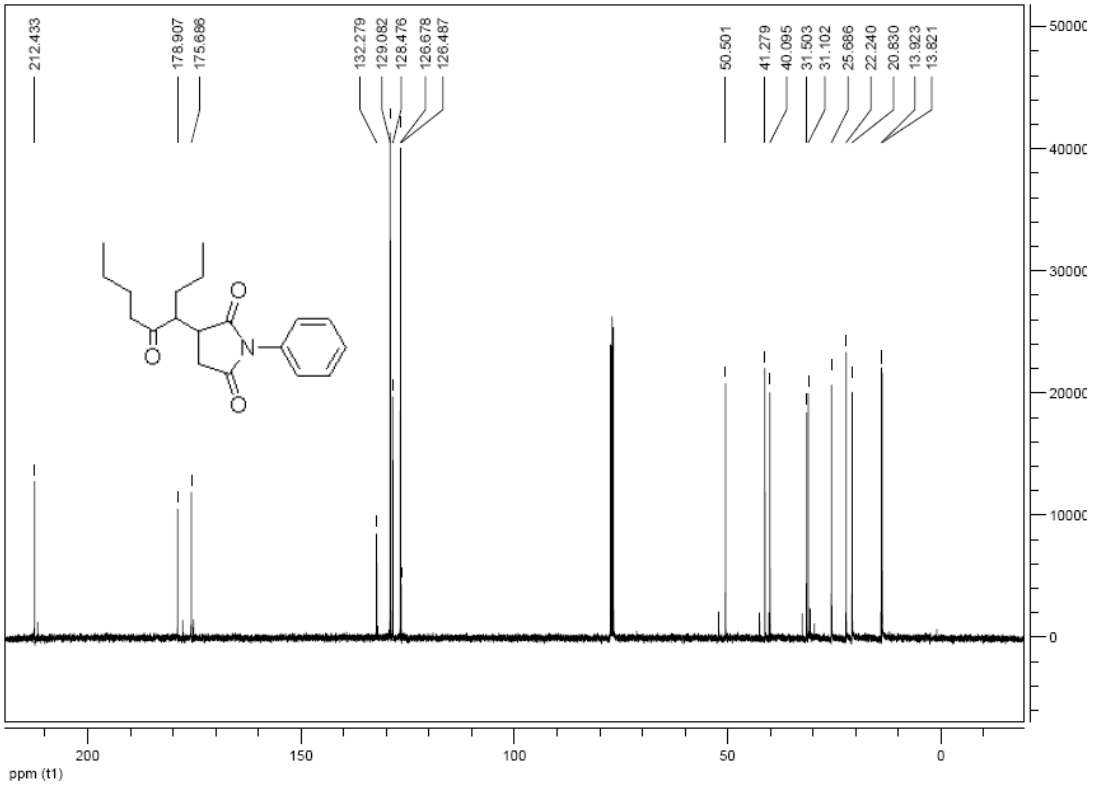
3-(4-oxoheptan-3-yl)-1-phenylpyrrolidine-2, 5-dione (9b)



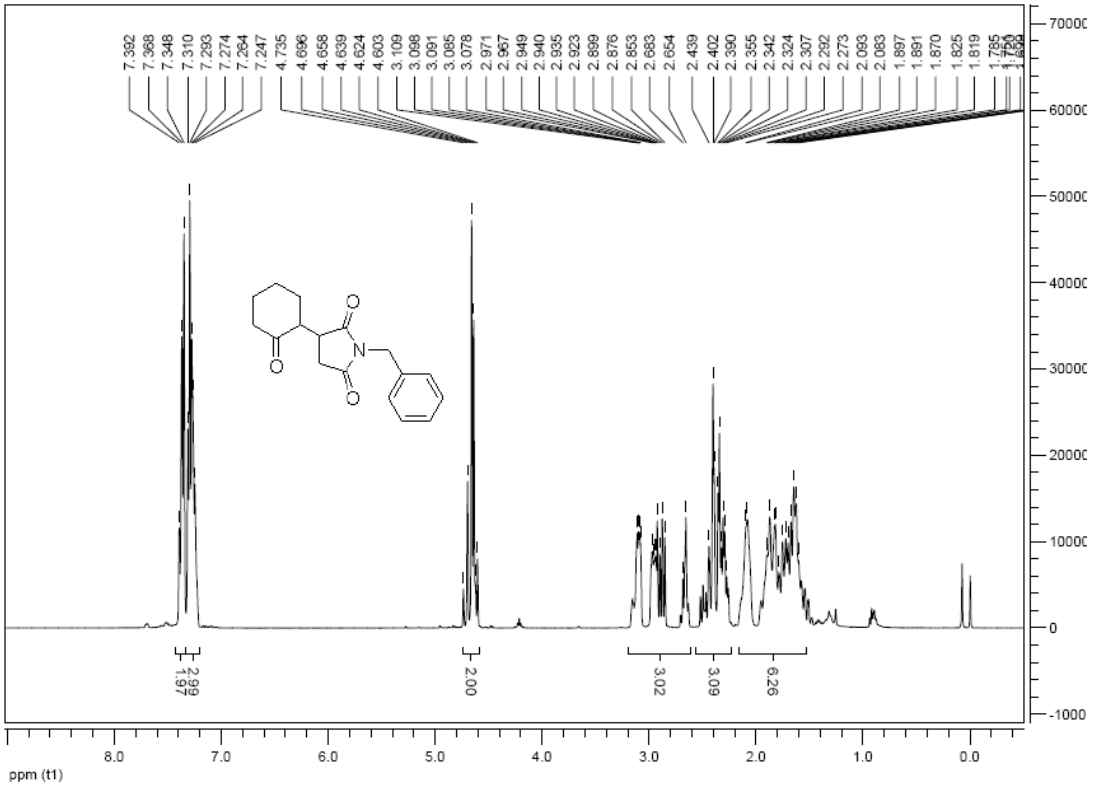


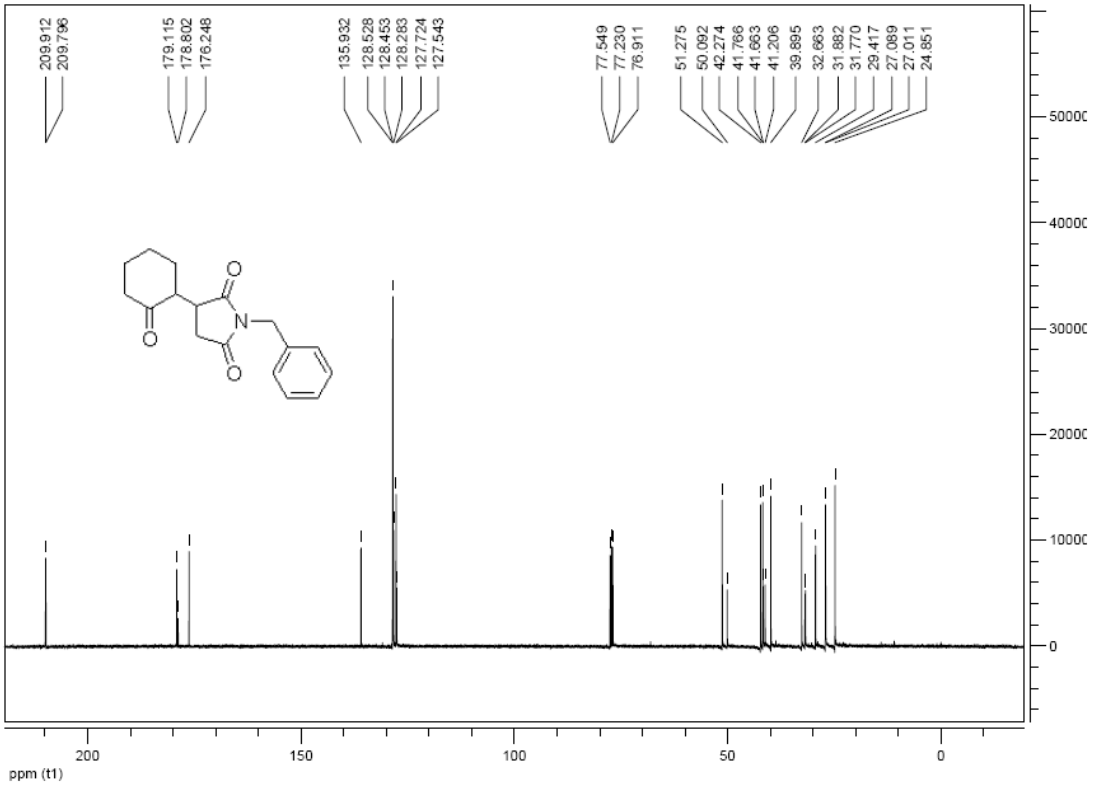
3-(5-oxononan-4-yl)-1-phenylpyrrolidine-2,5-dione (9c)



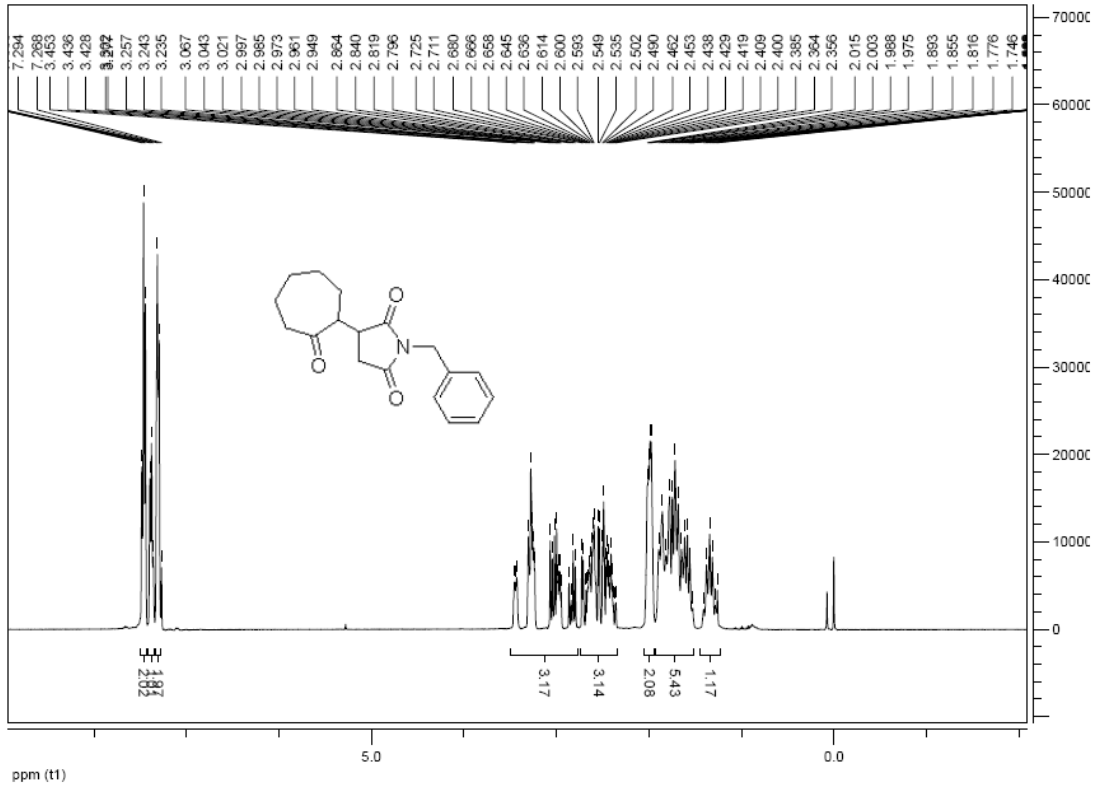


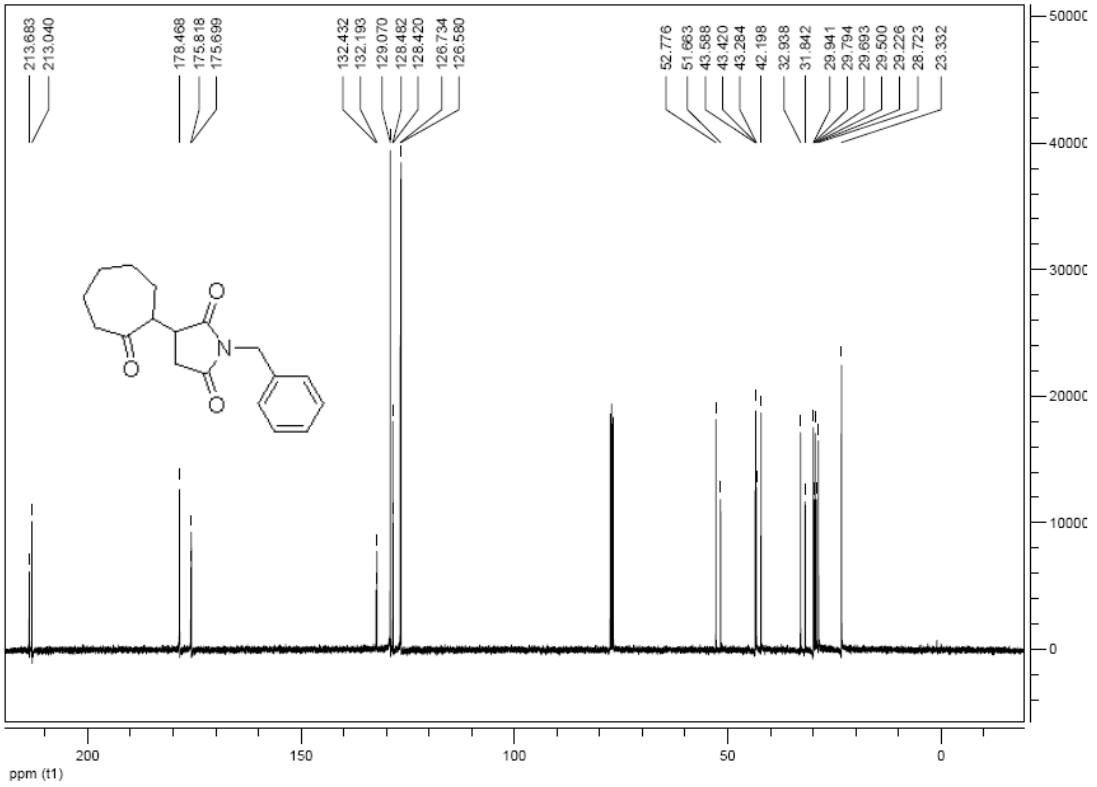
1-benzyl-3-(2-oxocyclohexyl) pyrrolidine-2, 5-dione (9d)



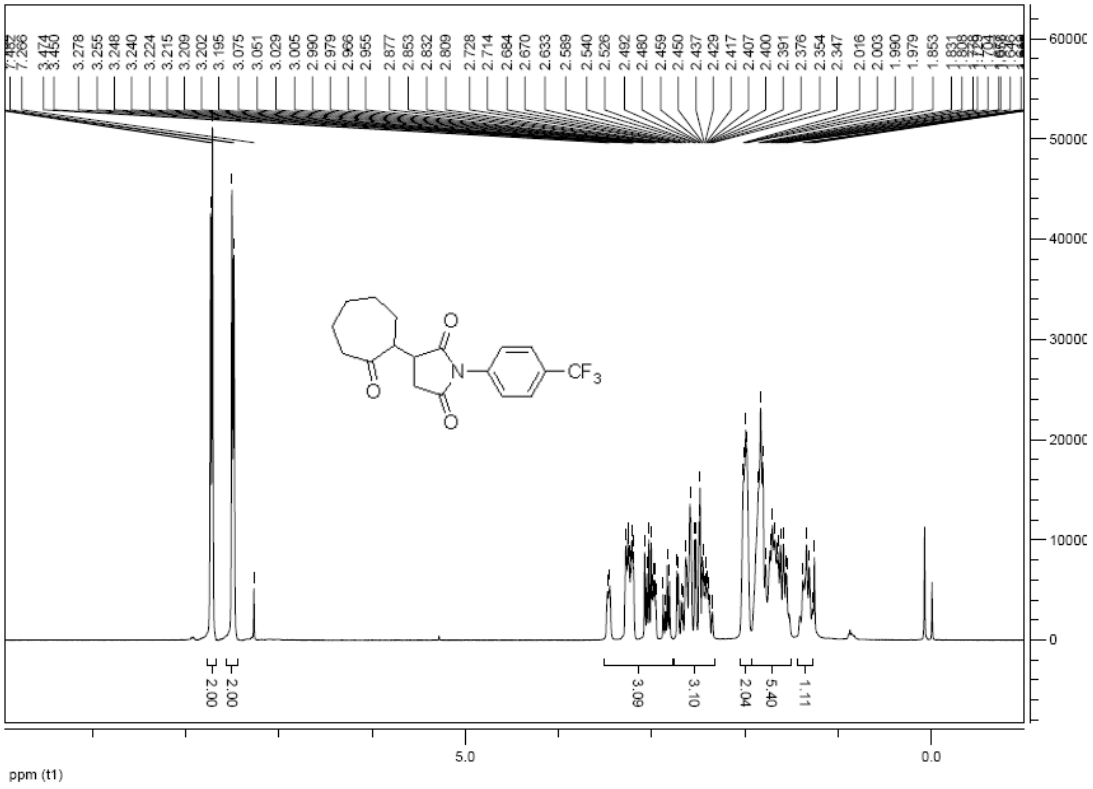


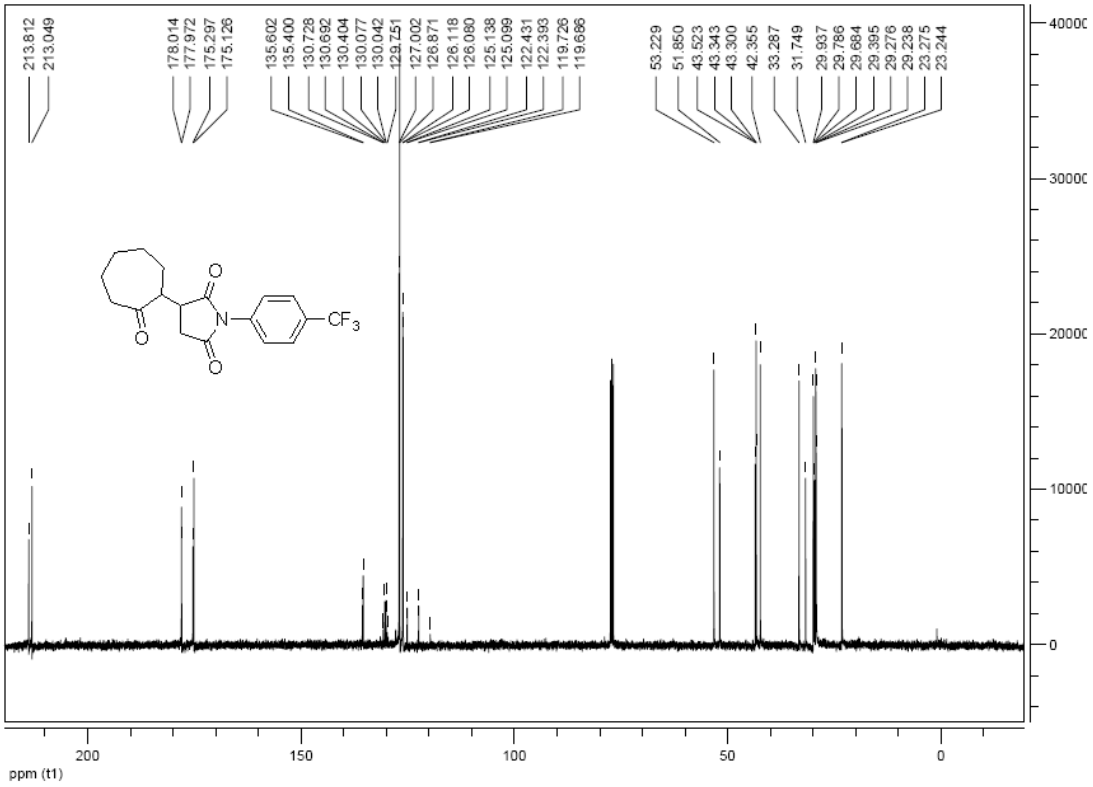
3-(2-oxocycloheptyl)-1-phenylpyrrolidine-2, 5-dione (9e)



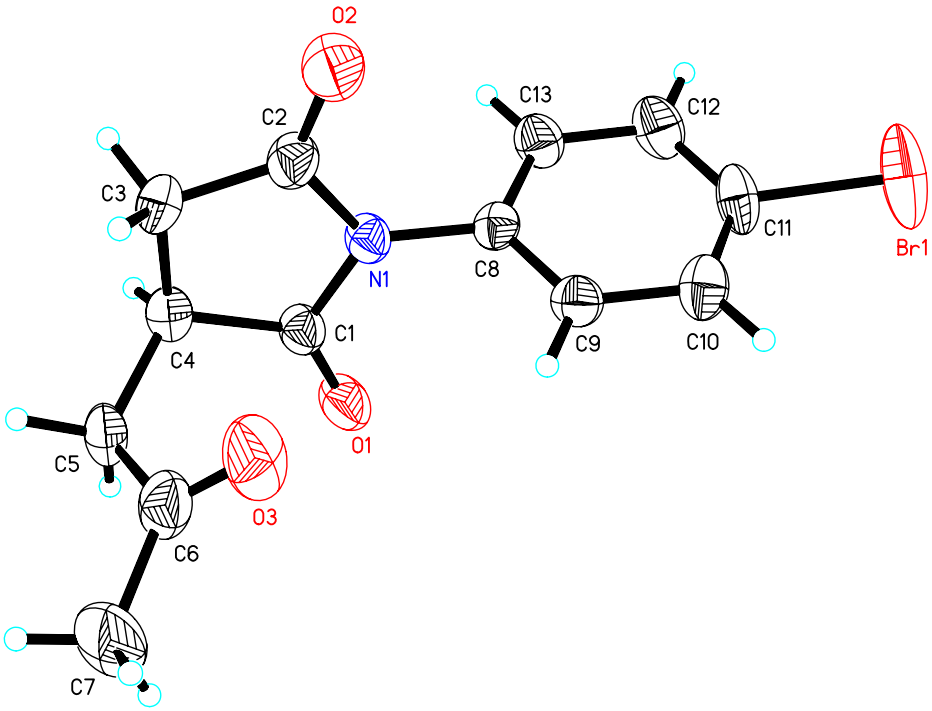


3-(2-oxocycloheptyl)-1-(4-(trifluoromethyl)phenyl)pyrrolidine-2,5-dione (9f)





F: Determination of Absolute Configuration



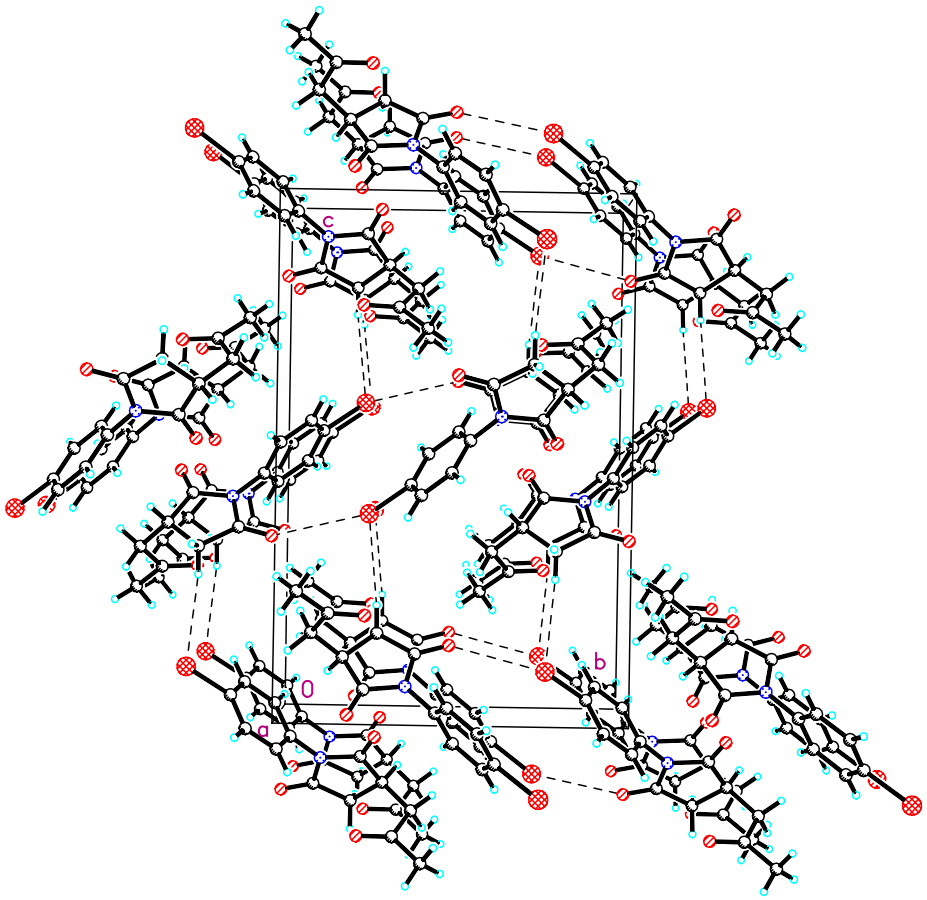


Table 1. Crystal data and structure refinement for cd201160.

Identification code	cd201160
Empirical formula	C13 H12 Br N O3
Formula weight	310.15
Temperature	293(2) K
Wavelength	0.71073 A

Crystal system, space group	Orthorhombic, P2(1)2(1)2(1)
Unit cell dimensions	a = 6.3371(16) A alpha = 90 deg.
	b = 11.773(3) A beta = 90 deg.
	c = 17.660(5) A gamma = 90 deg.
Volume	1317.6(6) A^3
Z, Calculated density	4, 1.563 Mg/m^3
Absorption coefficient	3.119 mm^-1
F(000)	624
Crystal size	0.358 x 0.301 x 0.237 mm
Theta range for data collection	2.08 to 25.99 deg.
Limiting indices	-7<=h<=7, -14<=k<=10, -21<=l<=21
Reflections collected / unique	7214 / 2570 [R(int) = 0.0637]

Completeness to theta = 25.99	99.7 %
Absorption correction	Empirical
Max. and min. transmission	1.00000 and 0.33881
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	2570 / 0 / 165
Goodness-of-fit on F^2	0.902
Final R indices [I>2sigma(I)]	R1 = 0.0472, wR2 = 0.1081
R indices (all data)	R1 = 0.0693, wR2 = 0.1152
Absolute structure parameter	0.048(14)
Extinction coefficient	0.0041(14)
Largest diff. peak and hole	0.477 and -0.474 e.A^-3

Table 2. Atomic coordinates (x 10^4) and equivalent isotropic displacement parameters (A^2 x 10^3) for cd201160.
U(eq) is defined as one third of the trace of the orthogonalized Uij tensor.

	x	y	z	U(eq)
Br(1)	10876(1)	-2382(1)	11063(1)	120(1)
N(1)	6625(5)	1275(3)	9222(2)	48(1)
O(1)	8285(6)	2843(3)	9698(2)	79(1)
O(2)	4623(6)	82(3)	8507(2)	91(1)
O(3)	9090(6)	2381(3)	7867(2)	105(1)
C(1)	7037(7)	2433(4)	9276(2)	52(1)
C(2)	5187(7)	1033(4)	8659(3)	59(1)
C(3)	4488(7)	2112(4)	8301(3)	67(1)
C(4)	5657(7)	3045(4)	8722(2)	53(1)
C(5)	6884(7)	3897(4)	8244(3)	63(1)
C(6)	8742(9)	3384(6)	7842(3)	78(2)

C(7)	10105(11)	4206(6)	7424(4)	125(3)
C(8)	7654(7)	436(3)	9666(2)	44(1)
C(9)	9651(7)	115(4)	9504(2)	54(1)
C(10)	10654(9)	-724(4)	9918(2)	64(1)
C(11)	9577(9)	-1198(4)	10503(2)	63(1)
C(12)	7572(9)	-897(4)	10686(3)	69(1)
C(13)	6604(7)	-61(4)	10263(2)	59(1)

Table 3. Bond lengths [A] and angles [deg] for cd201160.

Br(1)-C(11)	1.897(4)
N(1)-C(2)	1.378(5)
N(1)-C(1)	1.392(5)
N(1)-C(8)	1.420(5)
O(1)-C(1)	1.189(5)
O(2)-C(2)	1.205(5)
O(3)-C(6)	1.202(6)
C(1)-C(4)	1.498(6)
C(2)-C(3)	1.487(6)
C(3)-C(4)	1.519(6)
C(3)-H(3A)	0.9700
C(3)-H(3B)	0.9700
C(4)-C(5)	1.524(6)
C(4)-H(4)	0.9800
C(5)-C(6)	1.502(7)
C(5)-H(5A)	0.9700
C(5)-H(5B)	0.9700
C(6)-C(7)	1.492(8)

C(7)-H(7A)	0.9600
C(7)-H(7B)	0.9600
C(7)-H(7C)	0.9600
C(8)-C(9)	1.352(6)
C(8)-C(13)	1.377(6)
C(9)-C(10)	1.383(6)
C(9)-H(9)	0.9300
C(10)-C(11)	1.359(6)
C(10)-H(10)	0.9300
C(11)-C(12)	1.357(7)
C(12)-C(13)	1.379(6)
C(12)-H(12)	0.9300
C(13)-H(13)	0.9300

C(2)-N(1)-C(1)	112.1(3)
C(2)-N(1)-C(8)	123.9(3)
C(1)-N(1)-C(8)	123.9(3)
O(1)-C(1)-N(1)	124.5(4)
O(1)-C(1)-C(4)	127.0(4)
N(1)-C(1)-C(4)	108.5(3)
O(2)-C(2)-N(1)	123.3(4)
O(2)-C(2)-C(3)	127.6(4)

N(1)-C(2)-C(3)	109.1(4)
C(2)-C(3)-C(4)	105.4(3)
C(2)-C(3)-H(3A)	110.7
C(4)-C(3)-H(3A)	110.7
C(2)-C(3)-H(3B)	110.7
C(4)-C(3)-H(3B)	110.7
H(3A)-C(3)-H(3B)	108.8
C(1)-C(4)-C(3)	104.8(3)
C(1)-C(4)-C(5)	112.4(4)
C(3)-C(4)-C(5)	117.0(4)
C(1)-C(4)-H(4)	107.4
C(3)-C(4)-H(4)	107.4
C(5)-C(4)-H(4)	107.4
C(6)-C(5)-C(4)	113.4(4)
C(6)-C(5)-H(5A)	108.9
C(4)-C(5)-H(5A)	108.9
C(6)-C(5)-H(5B)	108.9
C(4)-C(5)-H(5B)	108.9
H(5A)-C(5)-H(5B)	107.7
O(3)-C(6)-C(7)	123.3(6)
O(3)-C(6)-C(5)	121.4(5)
C(7)-C(6)-C(5)	115.2(5)

C(6)-C(7)-H(7A)	109.5
C(6)-C(7)-H(7B)	109.5
H(7A)-C(7)-H(7B)	109.5
C(6)-C(7)-H(7C)	109.5
H(7A)-C(7)-H(7C)	109.5
H(7B)-C(7)-H(7C)	109.5
C(9)-C(8)-C(13)	119.7(4)
C(9)-C(8)-N(1)	120.5(4)
C(13)-C(8)-N(1)	119.8(4)
C(8)-C(9)-C(10)	121.2(4)
C(8)-C(9)-H(9)	119.4
C(10)-C(9)-H(9)	119.4
C(11)-C(10)-C(9)	117.7(5)
C(11)-C(10)-H(10)	121.2
C(9)-C(10)-H(10)	121.2
C(12)-C(11)-C(10)	122.9(4)
C(12)-C(11)-Br(1)	118.3(4)
C(10)-C(11)-Br(1)	118.7(4)
C(11)-C(12)-C(13)	118.4(4)
C(11)-C(12)-H(12)	120.8
C(13)-C(12)-H(12)	120.8
C(8)-C(13)-C(12)	120.1(4)

C(8)-C(13)-H(13)	119.9
C(12)-C(13)-H(13)	119.9

Symmetry transformations used to generate equivalent atoms:

Table 4. Anisotropic displacement parameters (A^2 x 10^3) for cd201160.

The anisotropic displacement factor exponent takes the form:

-2 pi^2 [h^2 a*^2 U11 + ... + 2 h k a* b* U12]

	U11	U22	U33	U23	U13	U12
Br(1)	199(1)	95(1)	66(1)	15(1)	-6(1)	82(1)
N(1)	56(2)	49(2)	39(2)	4(2)	-7(2)	-1(2)
O(1)	102(3)	56(2)	79(2)	14(2)	-36(2)	-20(2)

O(2)	112(3)	69(2)	93(2)	-5(2)	-49(2)	-10(2)
O(3)	104(3)	90(3)	121(3)	17(3)	38(2)	51(3)
C(1)	60(3)	50(3)	46(2)	4(2)	-7(2)	-1(2)
C(2)	62(3)	60(3)	53(3)	-2(2)	-14(2)	1(2)
C(3)	58(3)	75(3)	67(3)	14(3)	-20(2)	4(2)
C(4)	57(3)	55(2)	47(2)	3(2)	4(2)	10(2)
C(5)	69(3)	62(3)	57(3)	15(2)	-3(2)	19(2)
C(6)	71(4)	102(4)	61(3)	23(3)	0(3)	15(3)
C(7)	107(5)	156(6)	112(5)	69(5)	47(4)	18(5)
C(8)	48(3)	48(2)	37(2)	-5(2)	-7(2)	0(2)
C(9)	57(3)	59(3)	46(2)	5(2)	-4(2)	-5(2)
C(10)	72(3)	63(3)	56(3)	-7(2)	-8(3)	18(3)
C(11)	99(4)	51(3)	40(2)	-2(2)	-11(2)	26(3)
C(12)	93(4)	67(3)	47(2)	15(2)	8(3)	8(3)
C(13)	68(3)	59(3)	52(2)	8(2)	4(2)	2(2)

Table 5. Hydrogen coordinates (x 10^4) and isotropic displacement parameters (A^2 x 10^3) for cd201160.

	x	y	z	U(eq)
H(3A)	2974	2207	8353	80
H(3B)	4846	2121	7767	80
H(4)	4610	3473	9014	63
H(5A)	5940	4226	7871	75
H(5B)	7378	4507	8568	75
H(7A)	11050	4570	7773	188
H(7B)	9236	4768	7184	188
H(7C)	10907	3808	7047	188
H(9)	10363	463	9106	65
H(10)	12018	-954	9800	77
H(12)	6870	-1245	11085	83
H(13)	5239	169	10382	71

Table 6. Torsion angles [deg] for cd201160.

C(2)-N(1)-C(1)-O(1)	-176.9(4)
C(8)-N(1)-C(1)-O(1)	0.0(6)
C(2)-N(1)-C(1)-C(4)	3.1(5)
C(8)-N(1)-C(1)-C(4)	180.0(3)
C(1)-N(1)-C(2)-O(2)	179.0(5)
C(8)-N(1)-C(2)-O(2)	2.1(7)
C(1)-N(1)-C(2)-C(3)	-1.5(5)
C(8)-N(1)-C(2)-C(3)	-178.4(4)
O(2)-C(2)-C(3)-C(4)	178.8(5)
N(1)-C(2)-C(3)-C(4)	-0.7(5)
O(1)-C(1)-C(4)-C(3)	176.6(4)
N(1)-C(1)-C(4)-C(3)	-3.4(5)
O(1)-C(1)-C(4)-C(5)	48.5(6)
N(1)-C(1)-C(4)-C(5)	-131.5(4)
C(2)-C(3)-C(4)-C(1)	2.4(5)
C(2)-C(3)-C(4)-C(5)	127.7(4)
C(1)-C(4)-C(5)-C(6)	54.4(5)
C(3)-C(4)-C(5)-C(6)	-67.0(5)

C(4)-C(5)-C(6)-O(3)	4.8(7)
C(4)-C(5)-C(6)-C(7)	-174.6(5)
C(2)-N(1)-C(8)-C(9)	100.2(5)
C(1)-N(1)-C(8)-C(9)	-76.3(5)
C(2)-N(1)-C(8)-C(13)	-79.4(5)
C(1)-N(1)-C(8)-C(13)	104.1(5)
C(13)-C(8)-C(9)-C(10)	1.3(6)
N(1)-C(8)-C(9)-C(10)	-178.2(4)
C(8)-C(9)-C(10)-C(11)	-1.2(7)
C(9)-C(10)-C(11)-C(12)	1.1(7)
C(9)-C(10)-C(11)-Br(1)	178.1(3)
C(10)-C(11)-C(12)-C(13)	-1.0(7)
Br(1)-C(11)-C(12)-C(13)	-178.0(4)
C(9)-C(8)-C(13)-C(12)	-1.2(7)
N(1)-C(8)-C(13)-C(12)	178.3(4)
C(11)-C(12)-C(13)-C(8)	1.0(7)

Symmetry transformations used to generate equivalent atoms:

Table 7. Hydrogen bonds for cd201160 [A and deg.].

D-H...A	d(D-H)	d(H...A)	d(D...A)	<(DHA)
C(3)-H(3B)...Br(1)#1	0.97	3.06	3.972(5)	157.3

Symmetry transformations used to generate equivalent atoms:

#1 -x+3/2,-y,z-1/2

G: References

1. C. J. Wang, Z. H. Zhang, X. Q. Dong and X. J. Wu, *Chem. Commun.*, 2008, 1431.