Supporting Information

Photoredox Catalyzed Three-component Tandem Cyclization of 1,5-Dienes with α-Keto Acids and Water to Access Pyrrolidinones

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Table of Contents

1. General information

Unless otherwise mentioned, solvents, reagents and photoreactors were purchased from commercial sources and were used as received. All air- and moisture-sensitive manipulations were performed using oven-dried glassware (120 ºC for a minimum of 15 h), including standard Schlenk techniques under an atmosphere of argon. Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded on a Bruker DPX-400 spectrometer with DMSO-d_6 as the solvent and TMS as an internal standard. Chemical shifts for ^{1}H NMR spectra are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of $SiMe_4$ (δ 0.00 singlet). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet) and *etc*. The mass spectra were indicated by LC-MS (Thermo Fisher Scientific DSQ II). X-ray analysis was performed with a single-crystal X-ray diffractometer (Gemini E). High-resolution mass spectrometry (HRMS) data were obtained on an Agilent Technologies 1290-6540 UHPLC/Accurate-Mass Quadrupole Time-of Flight (Q-TOF) LC/MS using ESI as ion source. Measured values are reported to 4 decimal places of the calculated value.

The spectrum of the lamp and the visible-light irradiation instrument

Photochemical reaction was carried out under visible light irradiation by a blue LED at 25 ºC. The reaction vessel is borosilicate glass test tube and the distance between it and the lamp is 1.5 cm.

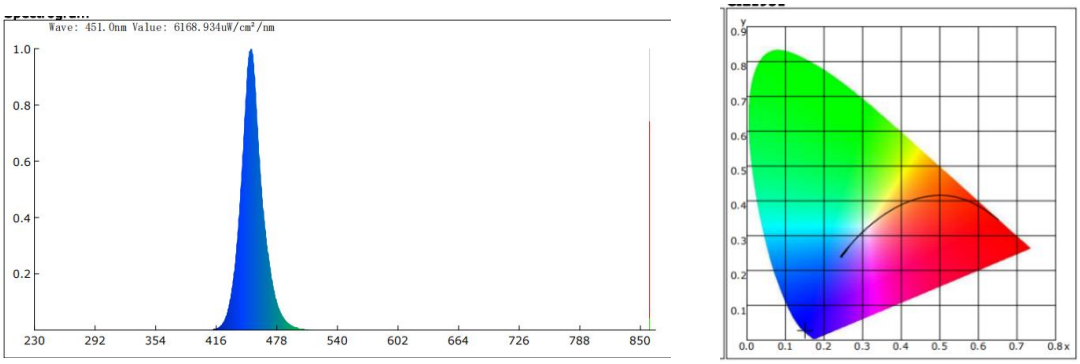


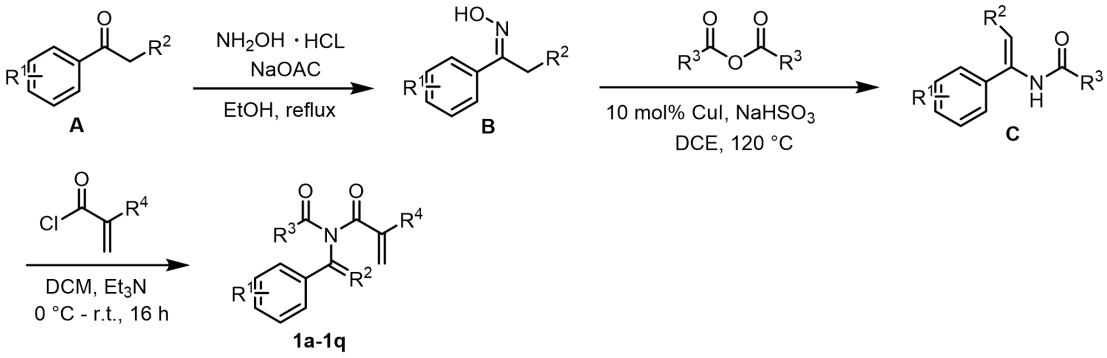
Figure S1. The spectrum of our lamp (white LED)

Figure S2. The visible-light irradiation instrument

2.Starting materials

Unless otherwise noted, all materials were purchased from commercial suppliers.

2.1 General procedure for synthesis of 1,5-dienes 1a – 1q.[1]

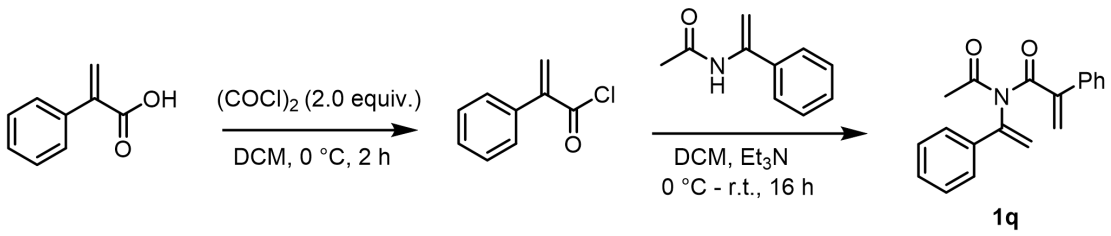


General procedure for synthesis of 1,5-dienes 1a – 1p：

Step 1 To a mixture of hydroxylamine hydrochloride (1.390 g, 20 mmol, 2 equiv), NaOAc (3.281 g, 40 mmol, 4 equiv), EtOH (40 mL) was added aryl ketone (10 mmol, 1 equiv), and the mixture was stirred at 90 °C for 2 h or at r.t. for overnight. The reaction mixture was cooled down to room temperature, and then EtOH was removed under reduced pressure. The resulting mixture was extracted with EtOAc. The organic layer was then washed with brine and dried over Na_2SO_4. The solvent was removed under vacuum to give oxime (> 99% yield), not further purified.

Step 2 The mixture of ketoxime (10 mmol), anhydride (20 mmol, 2 equiv), $NaHSO_3$ (30 mmol, 3.124 g, 3equiv) and CuI (10 mol%, 182 mg) was stirred in 1,2-dichloroethane (DCE, 60 mL) at 120 °C under argon condition. After completion of the reaction (detected by TLC), the reaction mixture was cooled to room temperature, diluted with EtOAc (100 mL) and washed with NaOH (2N, 100 mL) and brine (100 mL). The organic layers were dried over anhydrous Na_2SO_4 and evaporated in vacuo. The desired enamide was obtained after purification by flash chromatography on silica gel (PE/EtOAc = 3/1).

Step 3 The appropriate anilide (10 mmol, 1 equiv) was dssolved in anhydrous dichloromethane (50 mL) in a 100 ml three-necked round bottom flask filled with Ar gas. To this solution, trietylamine (1.518 g, 15 mmol, 1.5 equiv) was added. The reaction mixture was then cooled to 0 °C. Next, the methacryloyl chloride (15 mmol, 1.5 equiv) was added dropwise. And the mixture was stirred at 0 °C for 30 minutes. After warming to room temperature and stirred for 16 h, the reaction was quenched with water and extracted with dichloromethane. The organic layer was washed with brine, dried over Na_2SO_4, and concentrated. The crude product was purified by a silica gel column chromatography (PE/EtOAc = 15:1) to get the desired 1,5-dienes **1a – 1p.**

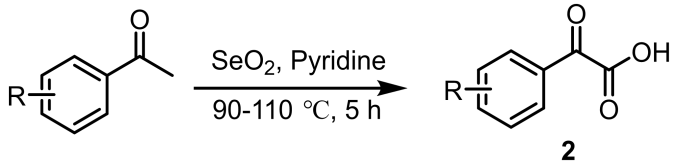


1q

General procedure for synthesis of 1,5-dienes 1q: To a 100 mL round-bottom flask was successively charged with atropic acid (15.0 mmol, 1.5 equiv), a drop of DMF, dichloromethane (40.0 mL) and $(COCl)_2$ (3.8 g, 30 mmol, 2.0 equiv) at 0 °C. The reaction was stirred at this temperature for 1 h. The excess of $(COCl)_2$ was removed under reduced pressure and the corresponding crude acryloyl chloride was afforded.

The *N*-(1-phenylvinyl)acetamide (5 mmol, 1 equiv) was dissolved in anhydrous dichloromethane (50 mL) in a 100 ml three-necked round bottom flask filled with Ar gas. To this solution, triethylamine (0.759 g, 7.5 mmol, 1.5 equiv) was added. The reaction mixture was then cooled to 0 °C. Next, the methacryloyl chloride (7.5 mmol, 1.5 equiv) was added dropwise. And the mixture was stirred at 0 °C for 30 minutes. After warming to room temperature and stirred for 16 h, the reaction was quenched with water and extracted with dichloromethane. The organic layer was washed with brine, dried over Na_2SO_4, and concentrated. The crude product was purified by a silica gel column chromatography (PE/EtOAc = 15:1) to get the desired compound **1q.**

2.2 General procedure for synthesis of benzoylformic acids 2a – 2j[2]

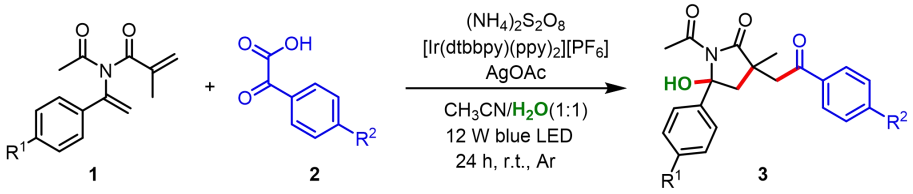


2

General procedure for synthesis of benzoylformic acids 2a – 2j: Add methyl ketone (5.0 mmol), SeO_2 (6.0 mmol), and 20.0 mL pyridine to a 50.0 mL round bottom bottle. Stir the reaction mixture in an oil bath at 110 °C for 1 h, then lower the

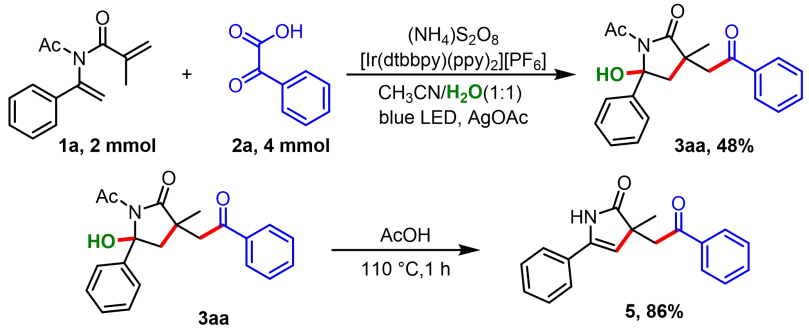
temperature to 90 °C and stir for 4 h. Using petroleum ether/ethyl acetate=20:1 for rapid column chromatography separation, benzoylformic acids **2a – 2j** was obtained.

2.3 General procedure for the synthesis of compounds 3



A dry 25 mL Schlenk tube equipped with a magnetic stir bar was charged with enamine amides 1 (0.1 mmol, 1.0 equiv.), benzoylformic acids 2 (0.2 mmol), $(NH_4)_2S_2O_8$ (3.0 equiv.), $[Ir(dtbbpy)(ppy)_2][PF_6]$ (2.0 mol%), AgOAc (10.0 mol%) and CH_3CN/H_2O (1 mL, 1:1) was stirred and irradiated with a 12 W blue LED at room temperature for 24 h under Ar atmosphere. The reaction mixture was diluted with ethyl acetate. The organic layer was washed with salt water and dried with Na_2SO_4. The filtrate was concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (PE/EtOAc=5/1) to afford the desired products acylated pyrrolidone compound **3**.

2.4 Synthetic utility of compound 3aa

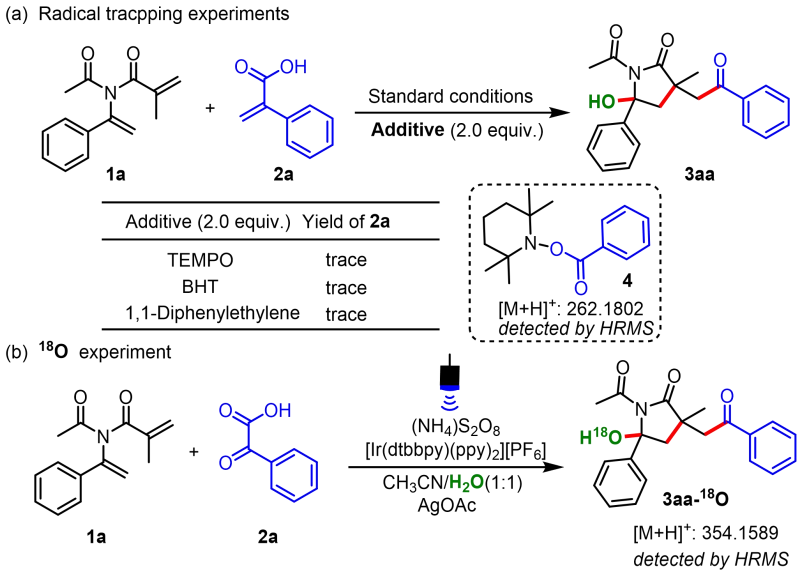


To an oven-dried 100 mL round-bottomed flask equipped with a magnetic stirring bar was added enamine amide **1a** (2.0 mmol, 0.458 g), benzoylformic acid **2a** (0.2 mmol, 0.6 g), $(NH_4)_2S_2O_8$ (6.0 mmol, 1.369 g), $[Ir(dtbbpy)(ppy)_2][PF_6]$ (2.0 mol%, 0.036 g), AgOAc (10.0 mol%, 0.033 g) and CH_3CN/H_2O (20 mL, 1:1) was

stirred and irradiated with a 12 W blue LED at room temperature for 48 h under Ar atmosphere. The reaction mixture was diluted with ethyl acetate. The organic layer was washed with salt water and dried with Na_2SO_4. The filtrate was concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (PE/EtOAc=5/1) to afford the desired products acylated pyrrolidone compounds **3aa** (0.337 g, 48%).

Compound **3aa** (0.2 mmol, 70.23 mg) was added into a 25 mL round bottom flask charged with a magnetic stir bar and dissolved in acetic acid (1 mL). The reaction mixture was stirred at 110 °C for 1 h. The reaction progress was monitored by TLC. When the starting material was fully consumed, the reaction was cooled to room temperature and quenched by addition of 1M NaOH until neutral pH. Organic phase was separated. And the aqueous phase was extracted three times with ethyl acetate. The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. The crude extracts were purified by silica gel (PE/EtOAc=10/1) to obtain the compound **5** (50.07 mg, 86%).

3 Control experiment



A 25 mL Schlenk tube containing a magnetic was charged with **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.2 mmol, 2.0 equiv.), $(NH_4)_2S_2O_8$ (0.3 mmol, 3.0 equiv.), $[Ir(dtbbpy)(ppy)_2][PF_6]$ (2.0 mol %), AgOAc (10.0 mol %), CH_3CN/H_2O (1 mL, 1:1), additive (0.3 mmol, 3.0 equiv.), was stirred and irradiated with a 12 W blue LED at room temperature for 24 h under Ar atmosphere. Upon completion, the reaction mixture was cooled to room temperature, and CH_2Cl_2 (20 mL) was added. The resulting mixture was filtered through a pad of Celite, and concentrated in vacuum. The amount of **3aa** was detected by TLC and HRMS.

A 25 mL Schlenk tube containing a magnetic was charged with **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.2 mmol, 2.0 equiv.), $(NH_4)_2S_2O_8$ (0.3 mmol, 3.0 equiv.), $[Ir(dtbbpy)(ppy)_2][PF_6]$ (2.0 mol %), AgOAc (10.0 mol %), $CH_3CN/H_2{}^{18}O$ (1 mL, 1:1), was stirred and irradiated with a 12 W blue LED at room temperature for 24 h under Ar atmosphere. Upon completion, the reaction mixture was cooled to room temperature, and CH_2Cl_2 (20 mL) was added. The resulting mixture was filtered through a pad of Celite, and concentrated in vacuum. The amount of **3aa-^{18}O** was detected by TLC and HRMS.

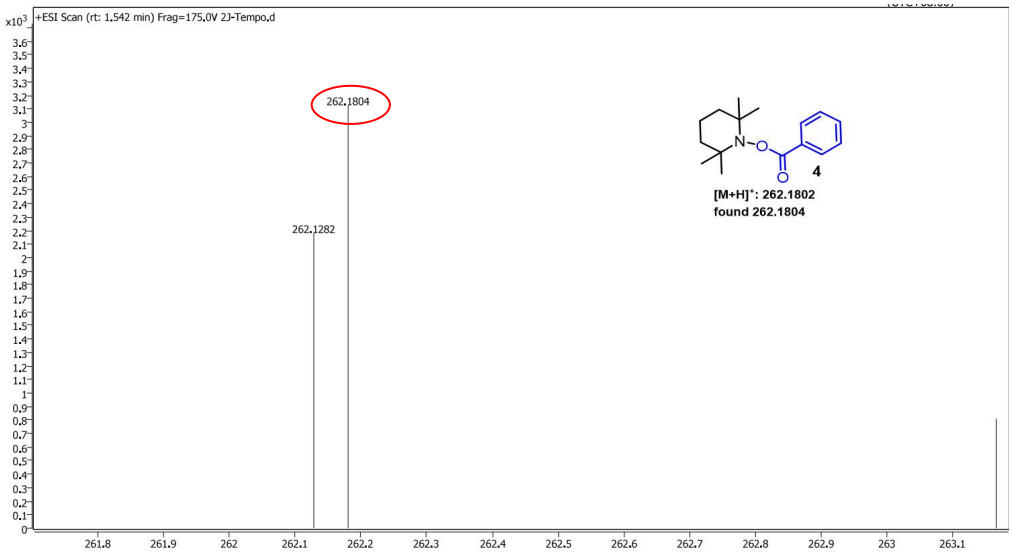


Figure S3. HRMS Data of TEMPO Adduct **4**.

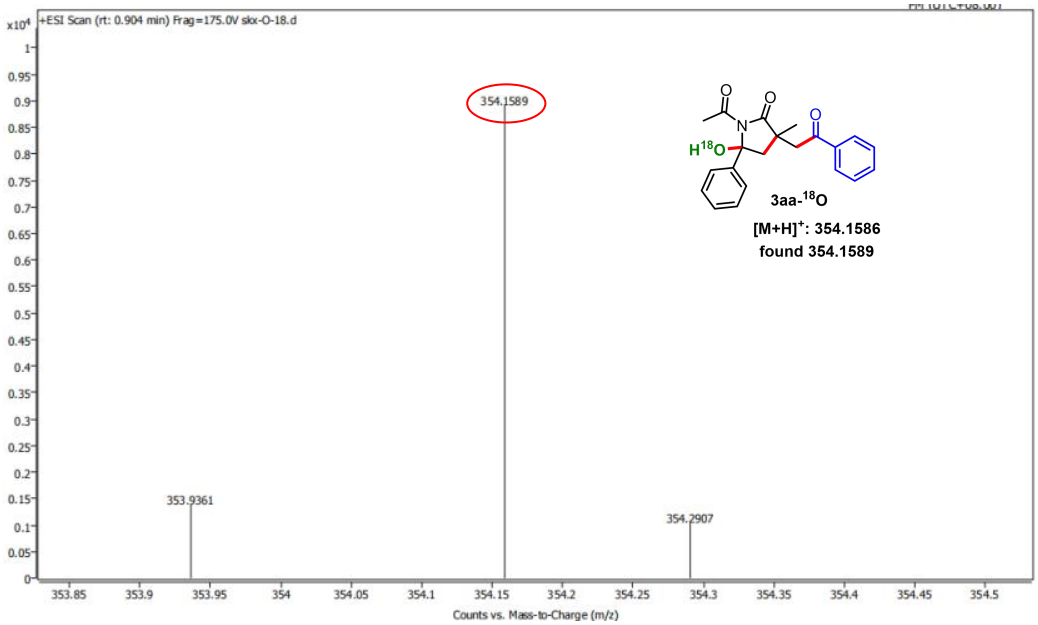
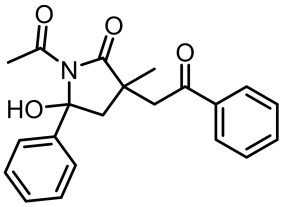


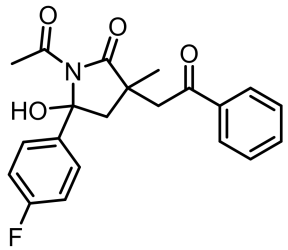
Figure S4. HRMS Data of **3aa-^{18}O**.

4.Characterization data of the products



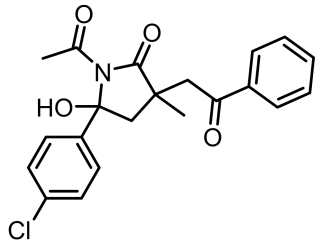
1-acetyl-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)-5-phenylpyrrolidin-2-one (3aa)

White powdery solid (yield 27.38 mg, 78%); mp:138.1-139.0℃; (dr = 6:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.03-7.92 (m, 2H), 7.70-7.57 (m, 3H), 7.56-7.47 (m, 2H), 7.38-7.31 (m, 2H), 7.28-7.19 (m, 1H), 6.50-6.39 (m, 1H), 3.67-3.53 (m, 1H), 3.53-3.42 (m, 1H), 2.47-2.34 (m, 3H), 2.28-2.17 (m, 1H), 2.09-1.98 (m, 1H), 1.53-1.10 (m, 3H).^{13}C NMR (101 MHz, DMSO) δ 198.5, 181.0, 171.3, 146.6, 136.7, 134.0, 129.2, 128.4, 128.4, 127.2, 124.9, 90.8, 48.7, 46.4, 42.7, 26.5, 26.1. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{21}NO_4Na$ $[M+Na]^+$ 374.1363, found: 374.1365.



1-acetyl-5-(4-fluorophenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolid in-2-one (3ba)

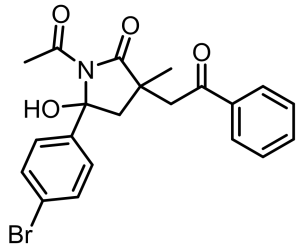
White powdery solid (yield 30.60 mg, 83%); mp:127.5-128.4℃; (dr = 10:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.13-8.03 (m, 1H), 8.03-7.93 (m, 1H), 7.69-7.58 (m, 2H), 7.56-7.50 (m, 1H), 7.39-7.14 (m, 4H), 6.58-6.41 (m, 1H), 3.66-3.62 (m, 1H), 3.50-3.41 (m, 1H), 2.45-2.31(m, 3H), 2.26-2.16(m, 1H), 2.08-1.99 (m, 1H), 1.51-1.10 (m, 3H).^{13}C NMR (101 MHz, DMSO) δ 198.7, 197.1, 181.0, 180.97, 171.2, 165.7(d, J_{C-F} = 253.5 Hz), 161.6(d, J_{C-F} = 243.4 Hz), 146.5, 142.8(d, J_{C-F} = 4.0 Hz), 136.6, 134.1, 133.4(d, J_{C-F} = 3.0 Hz), 131.5(d, J_{C-F} =9.1Hz), 129.2, 128.6, 128.4, 128.39, 127.2, 127.0(d, J_{C-F} = 8.1 Hz), 125.2, 124.9, 116.2(d, J_{C-F} = 22.2 Hz), 115.1(d, J_{C-F} = 21.2 Hz), 90.8, 90.4, 48.7, 46.4, 46.3, 42.7, 42.6, 26.5, 26.47, 26.1, 26.05. ^{19}F NMR (376 MHz, DMSO) δ -105.5, -116.6. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}FNO_4Na$ $[M+Na]^+$ 392.1268, found: 392.1269.



1-acetyl-5-(4-chlorophenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolid in-2-one (3ca)

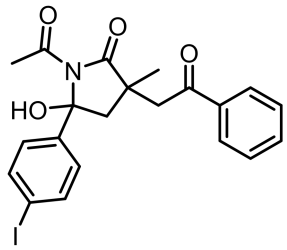
White powdery solid (yield 28.50 mg, 74%); mp:139.5-140.4℃; (dr = 11:1 determined by ^{1}H NMR from 1.47-1.19). 1H NMR (400 MHz, DMSO) δ 8.04-7.94 (m, 2H), 7.69-7.58 (m, 3H), 7.56-7.50 (m, 1H), 7.45-7.20 (m, 3H), 6.65-6.40 (m, 1H), 3.66-3.52 (m, 1H), 3.52-3.41 (m, 1H), 2.46-2.31 (m, 3H), 2.29-2.15 (m, 1H), 2.10-1.96 (m, 1H), 1.52-1.15 (m, 3H). 13C NMR (101 MHz, DMSO) δ 198.7, 197.6,

181.0, 180.9, 171.2, 171.1, 146.5, 145.7, 138.9, 136.6, 135.3, 134.1, 131.9, 130.4, 129.3, 129.2, 128.4, 128.4, 128.4, 127.2, 126.9, 124.9, 90.8, 90.3, 48.7, 48.5, 46.4, 46.3, 42.7, 42.6, 26.5, 26.4, 26.0. HRMS (ESI, m/z): Calcd. For $C_{21}H_{20}ClNO_4Na$ [M+Na]+ 408.0973, found: 408.0975.



1-acetyl-5-(4-bromophenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolidin-2-one (3da)

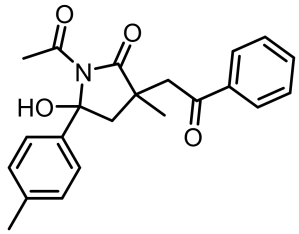
White powdery solid (yield 30.89 mg, 72%); mp:150.0-150.9℃; (dr = 10:1 determined by ^{1}H NMR from 1.47-1.18). ^{1}H NMR (400 MHz, DMSO) δ 8.02-7.89 (m, 2H), 7.77-7.58 (m, 2H), 7.58-7.49 (m, 4H), 7.39-7.21 (m, 1H), 6.65-6.44 (m, 1H), 3.67-3.53 (m, 1H), 3.52-3.41(m, 1H), 2.45-2.33(m, 3H), 2.27-2.18 (m, 1H), 2.09-2.00 (m, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.7, 197.8, 181.0, 180.9, 171.2, 171.1, 146.5, 146.1, 136.6, 135.6, 134.1, 132.3, 131.3, 130.5, 129.2, 128.5, 128.4, 128.1, 127.3, 127.2, 127.5, 124.9, 120.5, 90.8, 90.3, 48.7, 48.5, 46.4, 46.3, 42.7, 42.6, 26.5, 26.4, 26.0. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}BrNO_4Na$ $[M+Na]^+$ 452.0468, found: 452.0476.



1-acetyl-5-hydroxy-5-(4-iodophenyl)-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolidin-2-one (3ea)

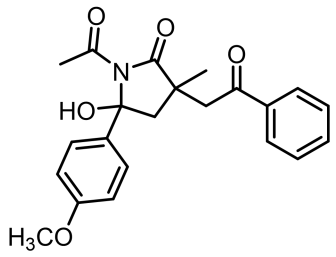
White powdery solid (yield 32.92 mg, 69%); mp:157.5-158.4℃; (dr = 14:1 determined by ^{1}H NMR from 1.31-1.03). ^{1}H NMR (400 MHz, DMSO) δ 7.88-7.74

(m, 2H), 7.63-7.34 (m, 5H), 7.30-7.00 (m, 2H), 6.49-6.27 (m, 1H), 3.50-3.36 (m, 1H), 3.36-3.24 (m, 1H), 2.30-2.14 (m, 3H), 2.11-1.98 (m, 1H), 1.93-1.82 (m, 1H), 1.31 (s, 3H).^{13}C NMR (101 MHz, DMSO) δ 198.6, 198.1, 180.9, 171.2, 171.1, 146.6, 146.5, 138.1, 137.2, 136.6, 135.9, 134.1, 130.2, 129.2, 128.4, 128.4, 127.4, 127.2, 124.9, 102.7, 93.4, 90.8, 90.4, 48.7, 48.5, 46.4, 46.2, 42.6, 26.5, 26.4, 26.0. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}INO_4Na$ $[M+Na]^+$ 500.0329, found: 500.0338.



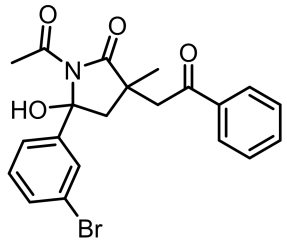
1-acetyl-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)-5-(*p*-tolyl)pyrrolidin-2-one (3fa)

White powdery solid (yield 26.66 mg, 73%); mp:129.7-130.4℃; (dr = 7:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.02-7.81 (m, 2H), 7.69-7.45 (m, 3H), 7.39-7.27 (m, 3H), 7.26-7.07 (m, 1H), 6.46-6.31 (m, 1H), 3.63-3.50 (m, 1H), 3.50-3.38 (m, 1H), 2.47-2.26 (m, 6H), 2.25-2.18 (m, 1H), 2.08-1.98 (m, 1H), 1.50-1.09 (m, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.5, 198.0, 181.1, 181.0, 171.3, 171.3, 146.6, 144.4, 143.7, 136.7, 136.3, 134.2, 134.0, 129.7, 129.2, 128.9, 128.6, 128.4, 128.4, 127.2, 124.9, 124.9, 90.8, 90.8, 48.7, 46.3, 46.2, 42.6, 42.6, 26.6, 26.5, 26.5, 26.1, 21.6, 21.0. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1518.



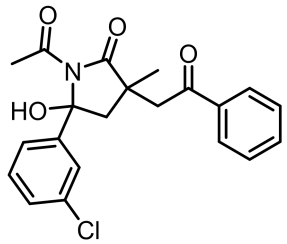
1-acetyl-5-hydroxy-5-(4-methoxyphenyl)-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolidin-2-one (3ga)

White powdery solid (yield 28.59 mg, 75%); mp:103.5-104.4℃; (dr = 10:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.03-7.93 (m, 2H), 7.67-7.50 (m, 2H), 7.40-7.19 (m, 3H), 7.08-6.89 (m, 2H), 6.49-6.34 (m, 1H), 3.89-3.73 m, 3H), 3.62-3.36 (m, 2H), 2.47-2.36 (m, 3H), 2.23 (dd, J = 13.5, 1.7 Hz, 1H), 2.04 (d, J = 13.4 Hz, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 196.7, 181.1, 171.3, 163.8, 146.6, 130.8, 129.6, 128.4, 127.2, 124.9, 114.4, 90.8, 56.0, 48.7, 46.0, 42.7, 26.5, 26.1. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_5Na$ $[M+Na]^+$ 404.1468, found: 404.1464.



1-acetyl-5-(3-bromophenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolid in-2-one (3ha)

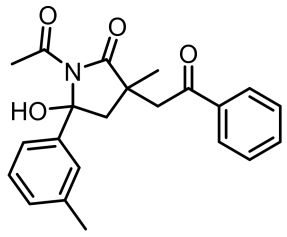
White powdery solid (yield 26.17 mg, 61%); mp:153.8-154.4℃; (dr = 8:1 determined by ^{1}H NMR from 1.47-1.1.21). ^{1}H NMR (400 MHz, DMSO) δ 8.15-7.96 (m, 2H), 7.89-7.76 (m, 1H), 7.70-7.58 (m, 2H), 7.57-7.29 (m, 4H), 6.73-6.43 (m, 1H), 3.70-3.42 (m, 2H), 2.39 (d, J = 2.7 Hz, 3H), 2.29-2.16 (m, 1H), 2.05 (dd, J = 13.5, 8.6 Hz, 1H), 1.47 (d, J = 1.5 Hz, 3H).^{13}C NMR (101 MHz, DMSO) δ 198.7, 197.6, 180.9, 180.9, 171.2, 171.1, 149.4, 146.5, 138.7, 136.6, 134.1, 131.5, 131.1, 130.7, 130.1, 129.2, 128.4, 128.4, 128.1, 127.5, 127.3, 124.9, 124.2, 124.0, 122.6, 121.8, 90.8, 90.0, 48.7, 48.6, 46.4, 42.7, 42.6 42.5, 26.5, 26.4, 26.0. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}BrNO_4Na$ $[M+Na]^+$ 452.0468, found: 452.0464.



1-acetyl-5-(3-chlorophenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolid

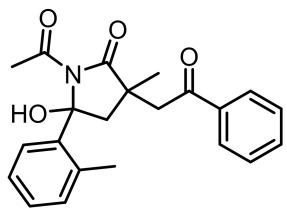
in-2-one (3ia)

White powdery solid (yield 25.03 mg, 65%); mp:152.7-153.4℃; (dr = 8:1 determined by ^{1}H NMR from 1.47-1.21). ^{1}H NMR (400 MHz, DMSO) δ 8.05-7.93 (m, 2H), 7.76-7.63 (m, 2H), 7.62-7.50 (m, 3H), 7.44-7.22 (m, 2H), 6.73-6.45 (m, 1H), 3.62 (d, J = 19.1 Hz, 1H), 3.56-3.42 (m, 1H), 2.46-2.34 (m, 3H), 2.28-2.15 (m, 1H), 2.11-2.00 (m, 1H), 1.47 (s, 3H) ^{13}C NMR (101 MHz, DMSO) δ 198.7, 197.6, 180.9, 171.2, 171.1, 149.2, 146.5, 138.5, 136.6, 134.2, 134.1, 133.7, 133.2, 131.2, 130.4, 129.2, 128.4, 128.4, 128.2, 127.2, 127.1, 125.2, 124.9, 123.9, 123.6, 90.8, 90.1, 48.5, 46.4, 42.7, 42.6, 26.5, 26.4, 26.0. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}ClNO_4Na$ $[M+Na]^+$ 408.0973, found: 408.0970.



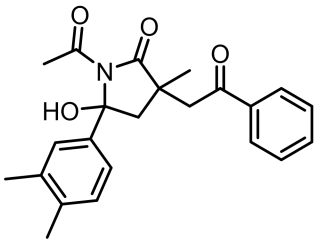
1-acetyl-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)-5-(*m*-tolyl)pyrrolidin-2-one (3ja)

White powdery solid (yield 26.65 mg, 73%); mp:108.0-108.4℃; (dr = 8:1 determined by ^{1}H NMR from 1.47-1.17). ^{1}H NMR (400 MHz, DMSO) δ 8.04-7.96 (m, 1H), 7.85-7.77 (m, 1H), 7.68-7.51 (m, 2H), 7.49-7.02 (m, 5H), 6.49-6.35 (m, 1H), 3.66-3.41 (m, 2H), 2.47-2.30 (m, 6H), 2.27-2.17 (m, 1H), 2.04 (dd, J = 13.4, 5.0 Hz, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.6, 198.4, 181.04, 181.01, 171.27, 171.25, 146.6, 138.6, 137.2, 136.70, 136.69, 134.6, 134.0, 129.2, 129.1, 128.8, 128.63, 128.42, 128.40, 127.9, 127.2, 125.7, 125.6, 125.2, 124.9, 122.0, 90.8, 48.7, 46.4, 46.3, 42.67, 42.65, 26.49, 26.47, 26.1, 21.8, 21.3. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1519.



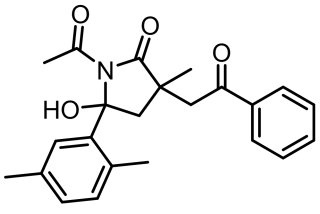
1-acetyl-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)-5-(*o*-tolyl)pyrrolidin-2-one (3ka)

White oily liquid (yield 22.64 mg, 62%); (dr = 8:1 determined by ^{1}H NMR from 1.44-1.14). ^{1}H NMR (400 MHz, DMSO) δ 7.77 (dd, J = 7.7, 1.4 Hz, 1H), 7.66-7.52 (m, 2H), 7.43 (td, J = 7.5, 1.4 Hz, 1H), 7.38-7.27 (m, 4H), 7.26-7.20 (m, 1H), 6.50-6.44 (m, 1H), 3.51-3.37 (m, 2H), 2.47-2.29 (m, 6H), 2.27-2.19 (m, 1H), 2.08 (d, J = 13.4 Hz, 1H), 1.44 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 203.1, 181.0, 171.3, 146.6, 138.0, 137.2, 132.1, 131.9, 128.9, 128.4, 127.3, 126.4, 124.9, 90.8, 49.4, 49.0, 42.9, 26.5, 25.9, 20.9. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1520.



1-acetyl-5-(3,4-dimethylphenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyrrolidin-2-one (3la)

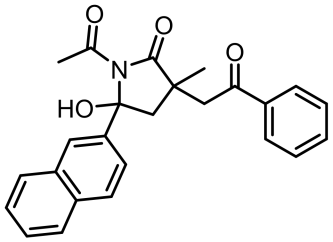
White powdery solid (yield 29.20 mg, 77%); mp:136.3-136.9℃; (dr = 9:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.03-7.69 (m, 2H), 7.67-7.50 (m, 2H), 7.41-7.06 (m, 4H), 6.51-6.24 (m, 1H), 3.62-3.38 (m, 2H), 2.48-2.21 (m, 9H), 2.20-215 (m, 1H), 2.07-1.99 (m, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.1, 181.1, 171.3, 146.6, 143.2, 137.3, 134.6, 130.2, 129.3, 128.4, 127.2, 126.2, 124.9, 90.8, 48.7, 46.3, 42.6, 26.5, 26.1, 20.1, 19.7. HRMS (ESI, *m/z*): Calcd. For $C_{23}H_{25}NO_4Na$ $[M+Na]^+$ 402.1676, found: 402.1675.



1-acetyl-5-(2,5-dimethylphenyl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)pyr

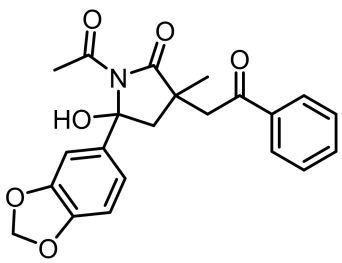
rolidin-2-one (3ma)

White oily liquid (yield 21.61 mg, 57%); (dr = 8:1 determined by ^{1}H NMR from 1.45-1.14). ^{1}H NMR (400 MHz, DMSO) δ 7.69-7.50 (m, 3H), 7.38-7.31 (m, 2H), 7.28-7.12 (m, 3H), 6.46 (d, J = 8.0 Hz, 1H), 3.48-3.36 (m, 2H), 2.43 (d, J = 22.1 Hz, 3H), 2.38-2.26 (m, 6H), 2.25-2.19 (m, 1H), 2.12-2.02 (m, 1H), 1.45 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 203.0, 181.0, 171.3, 146.6, 137.9, 135.5, 134.1, 132.5, 132.0, 129.4, 128.4, 127.3, 124.9, 90.8, 49.3, 49.0, 42.9, 26.5, 25.9, 20.9, 20.5. HRMS (ESI, *m/z*): Calcd. For $C_{23}H_{25}NO_4Na$ $[M+Na]^+$ 402.1676, found: 402.1677.



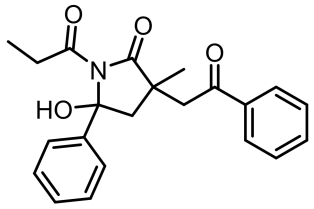
1-acetyl-5-hydroxy-3-methyl-5-(naphthalen-2-yl)-3-(2-oxo-2-phenylethyl)pyrrolidin-2-one (3na)

White powdery solid (yield 28.48 mg, 71%); mp:135.9-136.9℃; (dr = 8:1 determined by ^{1}H NMR from 1.53-1.20). ^{1}H NMR (400 MHz, DMSO) δ 8.78-8.66 (m, 1H), 8.22-8.07 (m, 1H), 8.06-7.84 (m, 4H), 7.82-7.59 (m, 3H), 7.58-7.45 (m, 2H), 7.41-7.31 (m, 1H), 6.76-6.44 (m, 1H), 3.84-3.44 (m, 2H), 2.46-2.35 (m, 3H), 2.32 (ddd, J = 18.0, 13.5, 1.8 Hz, 1H), 2.10 (dd, J = 13.4, 7.7 Hz, 1H), 1.52 (d, J = 2.3 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.6, 198.5, 181.1, 171.28, 171.25, 146.6, 144.0, 136.7, 135.6, 134.1, 133.9, 132.9, 132.6, 132.5, 130.5, 130.1, 129.2, 128.8, 128.5, 128.4, 128.3, 128.2, 127.9, 127.5, 127.3, 126.7, 126.3, 124.9, 123.9, 123.6, 123.4, 90.9, 90.8, 48.8, 48.4, 46.4, 42.8, 42.7, 26.50, 26.46, 26.2, 26.1. HRMS (ESI, *m/z*): Calcd. For $C_{25}H_{23}NO_4Na$ $[M+Na]^+$ 424.1519, found: 424.1516.



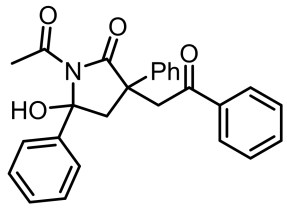
1-acetyl-5-(benzo[*d*][1,3]dioxol-5-yl)-5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl) pyrrolidin-2-one (3oa)

White oily liquid (yield 16.70 mg, 43%); (dr = 11:1 determined by ^{1}H NMR from 1.45-1.13). ^{1}H NMR (400 MHz, DMSO) δ 8.02-7.57 (m, 3H), 7.56-7.31 (m, 3H), 7.26-7.09 (m, 1H), 7.06-6.86 (m, 1H), 6.48-6.38 (m, 1H), 6.17-5.98 (m, 2H), 3.68-3.37 (m, 2H), 2.46-2.32 (m, 3H), 2.26-2.15 (m, 1H), 2.07-1.98 (m, 1H), 1.45 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 196.5, 181.1, 171.3, 152.2, 148.3, 146.6, 131.3, 128.4, 127.2, 125.0, 124.9, 108.5, 107.8, 102.6, 90.8, 48.7, 46.1, 42.7, 26.5, 26.1. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{21}NO_6Na$ $[M+Na]^+$ 418.1261, found: 418.1262.



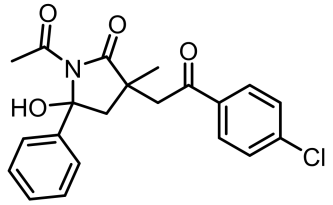
5-hydroxy-3-methyl-3-(2-oxo-2-phenylethyl)-5-phenyl-1-propionylpyrrolidin-2-one (3pa)

White powdery solid (yield 23.73 mg, 65%); mp:125.0-126.1℃; (dr = 7:1 determined by ^{1}H NMR from 1.17-0.97). ^{1}H NMR (400 MHz, DMSO) δ 8.04-7.90 (m, 2H), 7.69-7.48 (m, 5H), 7.39-7.32(m, 2H), 7.27-7.20 (m, 1H), 6.45 (d, J = 1.6 Hz, 1H), 3.72-3.41 (m, 2H), 2.96-2.65 (m, 2H), 2.24 (dd, J = 13.4, 1.9 Hz, 1H), 2.05 (d, J = 13.4 Hz, 1H), 1.48 (s, 3H), 0.99 (t, J = 7.3 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.6, 180.8, 175.0, 146.7, 136.7, 134.0, 129.2, 128.4, 128.3(7), 127.2, 124.9, 90.9, 48.7, 46.4, 42.7, 31.5, 26.1, 8.8. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1524.



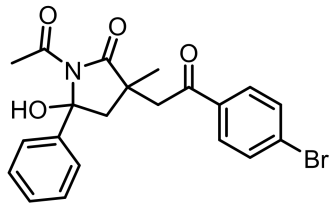
1-acetyl-5-hydroxy-3-(2-oxo-2-phenylethyl)-3,5-diphenylpyrrolidin-2-one (3qa)

White oily liquid (yield 24.79 mg, 60%); (dr = 5:1 determined by ^{1}H NMR from 2.55-2.48). ^{1}H NMR (400 MHz, DMSO) δ 8.02-7.91 (m, 2H), 7.68-7.58 (m, 4H), 7.54-7.46 (m, 2H), 7.44-7.04 (m, 7H), 6.55-6.16 (m, 1H), 4.12-3.95 (m, 1H), 3.74-3.59 (m, 1H), 2.97-2.57 (m, 2H), 2.56-2.42 (m, 2H). ^{13}C NMR (101 MHz, DMSO) δ 198.1, 197.2, 178.3, 177.3, 171.7, 171.1, 146.4, 144.4, 142.7, 140.5, 136.8, 136.6, 134.1, 134.0, 133.8, 129.2, 129.1, 128.8, 128.6, 128.52, 128.45, 128.4, 128.1, 127.4, 127.3, 127.2, 127.1, 127.0, 125.3, 124.9, 91.3, 90.9, 51.2, 50.5, 48.5, 48.3, 26.8, 26.7. HRMS (ESI, *m/z*): Calcd. For $C_{26}H_{23}NO_4Na$ $[M+Na]^+$ 436.1519, found: 436.1518.



1-acetyl-3-(2-(4-chlorophenyl)-2-oxoethyl)-5-hydroxy-3-methyl-5-phenylpyrrolidin-2-one (3ab)

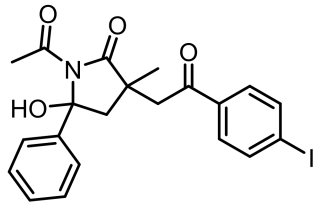
White powdery solid (yield 31.96 mg, 83%); mp:156.6-157.6℃; (dr = 16:1 determined by ^{1}H NMR from 1.47-1.18). ^{1}H NMR (400 MHz, DMSO) δ 8.05-7.95 (m, 2H), 7.70-7.57 (m, 3H), 7.57-7.20 (m, 4H), 6.66-6.40 (m, 1H), 3.70-3.40 (m, 2H), 2.46-2.32 (m, 3H), 2.27-2.14 (m, 1H), 2.12-1.97 (m, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.7, 197.6, 181.0, 180.9, 171.2, 171.1, 146.5, 145.7, 138.9, 136.6, 135.3, 134.1, 131.9, 130.4, 129.3, 129.2, 128.5, 128.4, 128.3(7), 127.3, 126.9, 124.9, 90.8, 90.3, 48.7, 48.5, 46.4, 46.3, 42.7, 42.6, 26.5, 26.4, 26.1. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}ClNO_4Na$ $[M+Na]^+$ 408.0973, found: 408.0973.



1-acetyl-3-(2-(4-bromophenyl)-2-oxoethyl)-5-hydroxy-3-methyl-5-phenylpyrrolid

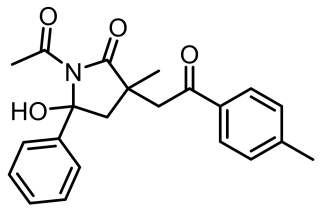
in-2-one (3ac)

White powdery solid (yield 31.75 mg, 74%); mp:161.0-161.9℃; (dr = 11:1 determined by ^{1}H NMR from 1.47-1.19). ^{1}H NMR (400 MHz, DMSO) δ 8.03-7.88 (m, 2H), 7.77-7.59 (m, 2H), 7.57-7.50 (m, 4H), 7.38-7.21 (m, 1H), 6.69-6.43 (m, 1H), 3.69-3.40 (m, 2H), 2.46-2.31(m, 3H), 2.26-2.16 (m, 1H), 2.09-1.97 (m, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.7, 197.8, 181.0, 180.9, 171.2, 171.1, 146.5, 146.1, 136.6, 135.6, 134.1, 132.3, 131.3, 130.5, 129.2, 128.5, 128.4, 128.1, 127.3, 127.2(5), 124.9, 120.5, 90.8, 90.3, 48.7, 48.5, 46.4, 46.3, 42.7, 42.6, 26.5, 26.4, 26.1. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}BrNO_4Na$ $[M+Na]^+$ 452.0468, found: 452.0474.



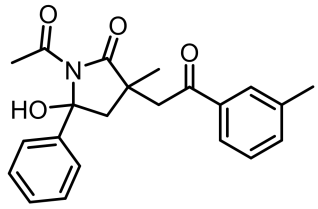
1-acetyl-5-hydroxy-3-(2-(4-iodophenyl)-2-oxoethyl)-3-methyl-5-phenylpyrrolidin-2-one (3ad)

White powdery solid (yield 34.35 mg, 72%); mp:169.7-170.1℃; (dr = 14:1 determined by ^{1}H NMR from 1.46-1.18). ^{1}H NMR (400 MHz, DMSO) δ 8.03-7.89 (m, 2H), 7.80-7.49 (m, 5H), 7.45-7.32 (m, 2H), 6.62-6.44 (m, 1H), 3.68-3.51 (m, 1H), 3.52-3.40 (m, 1H), 2.46-2.28 (m, 3H), 2.26-2.14 (m, 1H), 2.04 (dd, J = 13.4, 3.2 Hz, 1H), 1.46 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.6, 198.1, 180.9, 171.2, 171.1, 146.6, 146.5, 138.1, 137.2, 136.6, 135.9, 134.1, 130.2, 129.2, 128.5, 128.4, 127.4, 127.2, 124.9, 93.4, 90.8, 90.4, 48.5, 46.4, 46.2, 42.6, 26.5, 26.4, 26.0. HRMS (ESI, *m/z*): Calcd. For $C_{21}H_{20}INO_4Na$ $[M+Na]^+$ 500.0329, found: 500.0324.



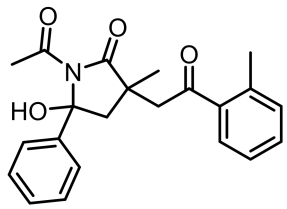
1-acetyl-3-(2-(4-bromophenyl)-2-oxoethyl)-5-hydroxy-3-methyl-5-phenylpyrrolidin-2-one (3ae)

White powdery solid (yield 26.70 mg, 73%); mp:140.0-141.1℃; (dr = 7:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.04-7.83 (m, 2H), 7.69-7.46 (m, 3H), 7.40-7.30 (m, 3H), 7.26-7.13 (m, 1H), 6.50-6.32 (m, 1H), 3.64-3.52 (m, 1H), 3.50-3.39 (m, 1H), 2.46-2.26 (m, 6H), 2.26-2.18 (m, 1H), 2.07-1.98 (m, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.5, 198.0, 181.1, 181.0, 171.3, 171.3, 146.6, 144.4, 143.7, 136.7, 136.3, 134.2, 134.0, 129.7, 129.2, 128.9, 128.6, 128.4, 128.4, 127.2, 124.9, 124.8(6), 90.8, 90.8, 49.3, 48.7, 46.3, 46.2, 42.6, 42.6, 26.6, 26.5, 26.4, 26.1, 21.6, 21.1. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1518.



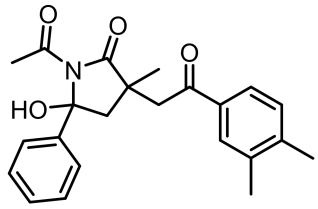
1-acetyl-5-hydroxy-3-methyl-3-(2-oxo-2-(*m*-tolyl)ethyl)-5-phenylpyrrolidin-2-one (3af)

White powdery solid (yield 25.20 mg, 69%); mp:118.0-118.5℃; (dr = 7:1 determined by ^{1}H NMR from 1.47-1.17). ^{1}H NMR (400 MHz, DMSO) δ 8.04-7.92 (m, 1H), 7.84-7.74 (m, 1H), 7.69-7.50 (m, 2H), 7.49-7.02 (m, 5H), 6.50-6.35 (m, 1H), 3.67-3.40 (m, 2H), 2.47-2.28 (m, 6H), 2.27-2.16 (m, 1H), 2.10-1.95 (m, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.6, 198.4, 181.1, 181.0, 171.3, 171.2(5), 146.6, 138.6, 137.2, 136.7, 134.6, 134.0, 129.2, 129.1, 128.8, 128.6, 128.4, 128.4, 128.3(6), 127.9, 127.2, 125.7, 125.6, 125.2, 124.9, 122.0, 91.1, 90.8, 48.7, 46.4, 46.3, 42.7, 42.6(5), 26.6, 26.5, 26.4, 26.1, 21.8, 21.3. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1518.



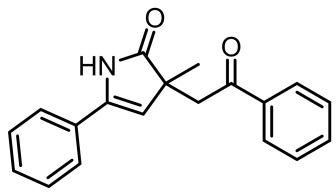
1-acetyl-5-hydroxy-3-methyl-3-(2-oxo-2-(*o*-tolyl)ethyl)-5-phenylpyrrolidin-2-one (3ag)

White oily liquid (yield 27.38 mg, 75%); (dr = 8:1 determined by ^{1}H NMR from 1.44-1.14). ^{1}H NMR (400 MHz, DMSO) δ 7.81-7.73 (m, 1H), 7.66-7.57 (m, 2H), 7.47-7.40 (m, 1H), 7.39-7.20 (m, 5H), 6.54-6.40 (m, 1H), 3.50-3.37 (m, 2H), 2.47-2.32 (m, 6H), 2.27-2.18 (m, 1H), 2.11-2.03 (m, 1H), 1.44 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 203.1, 181.0, 171.3, 146.6, 138.0, 137.2, 132.1, 131.9, 128.9, 128.4, 127.3, 126.4, 124.9, 90.8, 49.4, 49.0, 42.9, 26.5, 25.9, 20.9. HRMS (ESI, *m/z*): Calcd. For $C_{22}H_{23}NO_4Na$ $[M+Na]^+$ 388.1519, found: 388.1519.



1-acetyl-5-hydroxy-3-(2-(4-iodophenyl)-2-oxoethyl)-3-methyl-5-phenylpyrrolidin-2-one (3ah)

White powdery solid (yield 27.68 mg, 73%); mp:139.0-140.2℃; (dr = 8:1 determined by ^{1}H NMR from 1.47-1.15). ^{1}H NMR (400 MHz, DMSO) δ 8.03-7.70 (m, 2H), 7.67-7.49 (m, 2H), 7.42-7.04 (m, 4H), 6.47-6.22 (m, 1H), 3.65-3.37 (m, 2H), 2.47-2.18 (m, 10H), 2.06-1.98 (m, 1H), 1.52-1.08 (m, 3H). ^{13}C NMR (101 MHz, DMSO) δ 198.4, 198.1, 181.1, 171.3, 171.2(6), 146.6, 144.0, 143.2, 137.3, 136.7, 135.8, 135.0, 134.6, 134.0, 130.2, 129.5, 129.3, 129.2, 128.6, 128.4, 128.4, 127.2, 126.2, 125.2, 124.9, 122.3, 91.1, 90.8, 48.7, 46.3, 42.6, 26.5, 26.5, 26.1, 20.3, 20.1, 19.7, 19.4. HRMS (ESI, *m/z*): Calcd. For $C_{23}H_{25}NO_4Na$ $[M+Na]^+$ 402.1676, found: 402.1679.

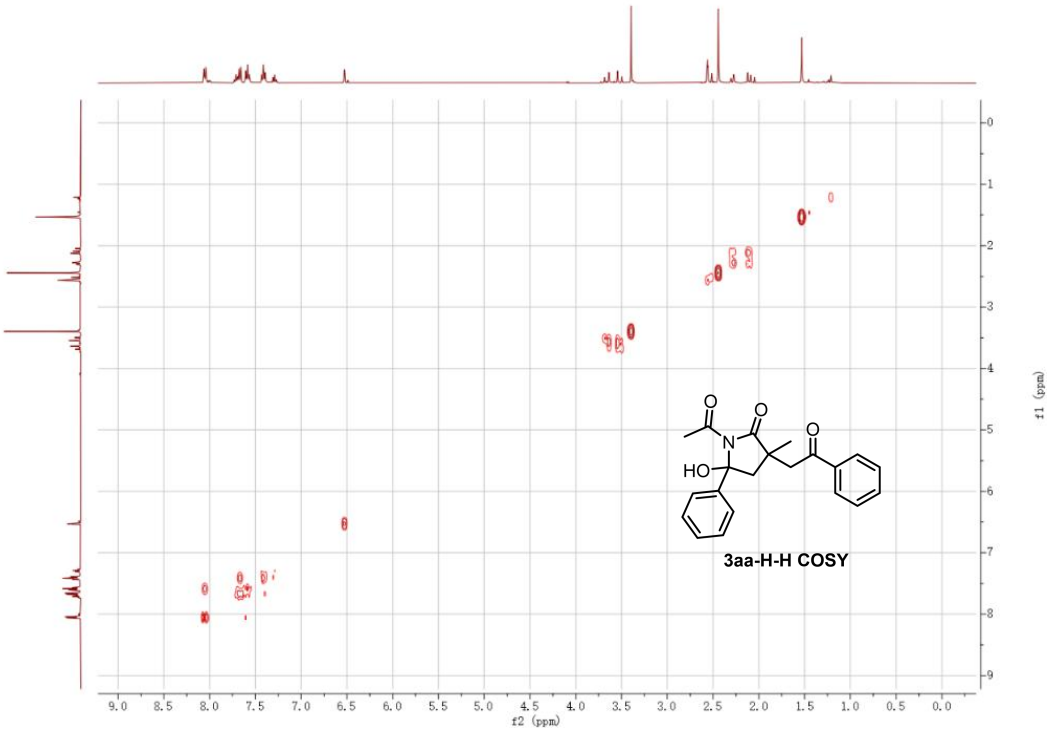


3-methyl-3-(2-oxo-2-phenylethyl)-5-phenyl-1,3-dihydro-2*H*-pyrrol-2-one (5)

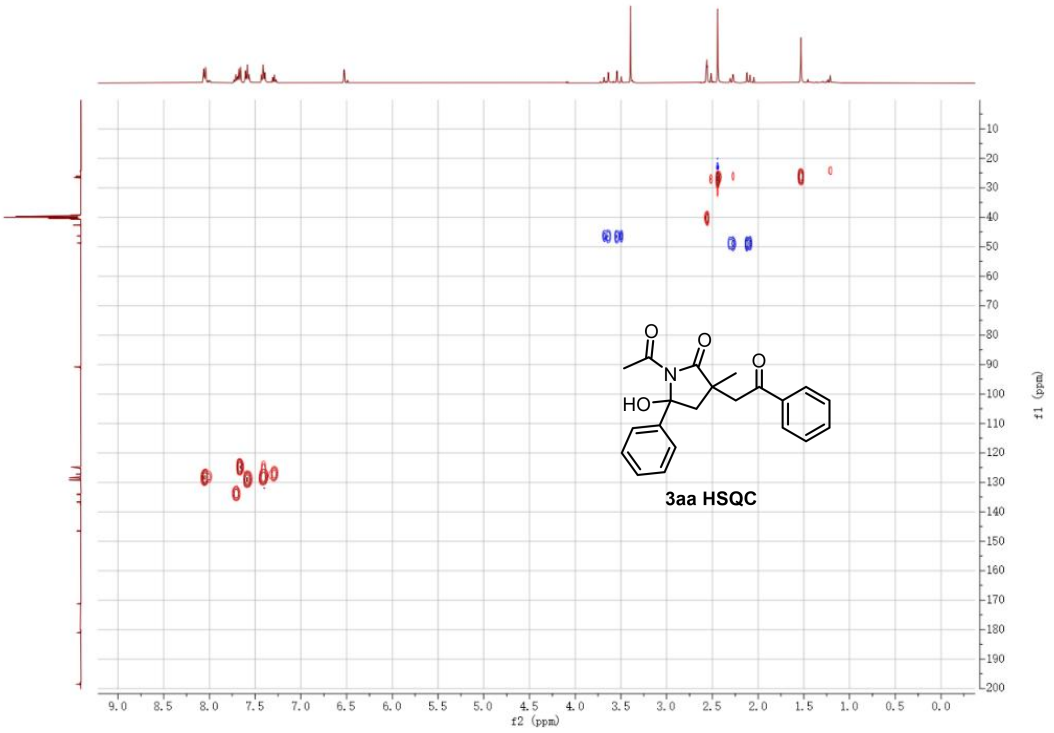
White powdery solid (yield 50.05 mg, 86%); mp:118.0-119.6℃. ^{1}H NMR (400 MHz, DMSO) δ 7.96 (d, J = 8.0 Hz, 2H), 7.69-7.62 (m, 3H), 7.53 (t, J = 7.6 Hz, 2H), 7.50-7.39 (m, 3H), 6.14 (s, 1H), 3.95 (d, J = 18.6 Hz, 1H), 3.52 (d, J = 18.6 Hz, 1H), 1.42 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 197.2, 181.3, 151.1, 136.1, 134.2, 129.9, 129.3, 129.3, 128.9, 128.5, 124.9, 109.0, 46.2, 46.2, 24.6. HRMS (ESI, *m/z*): Calcd. For $C_{19}H_{18}NO_2$ $[M+H]^+$ 292.1332, found: 292.1331.

5.2D NMR for 3

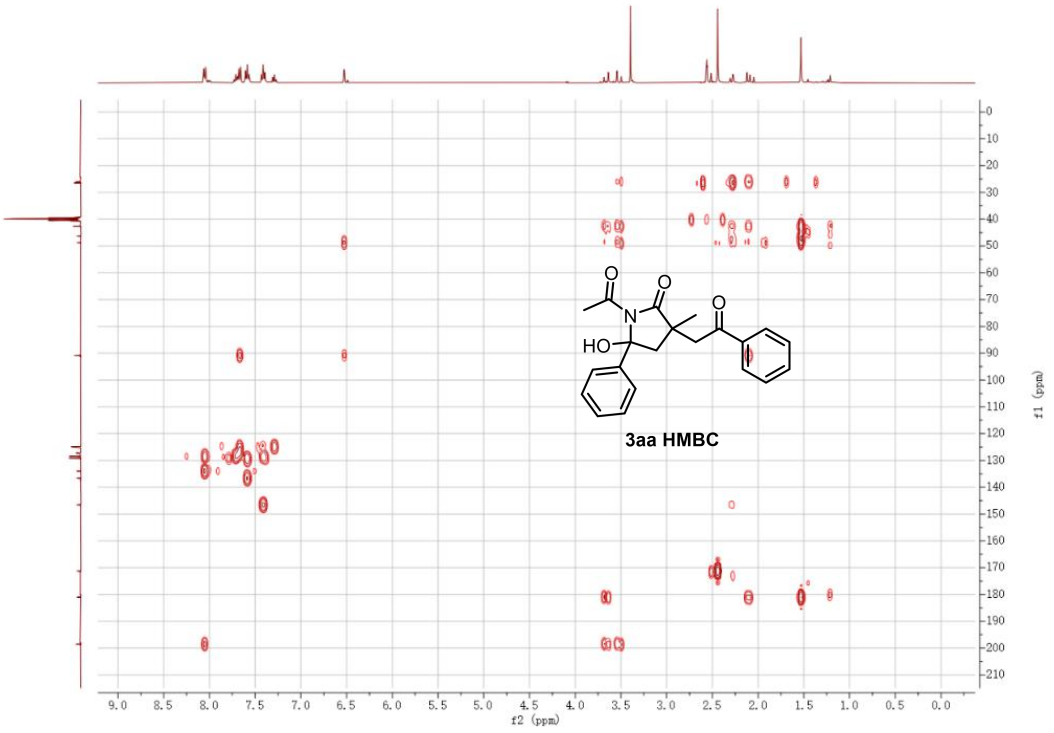
3aa H-H COSY



3aa HSQC

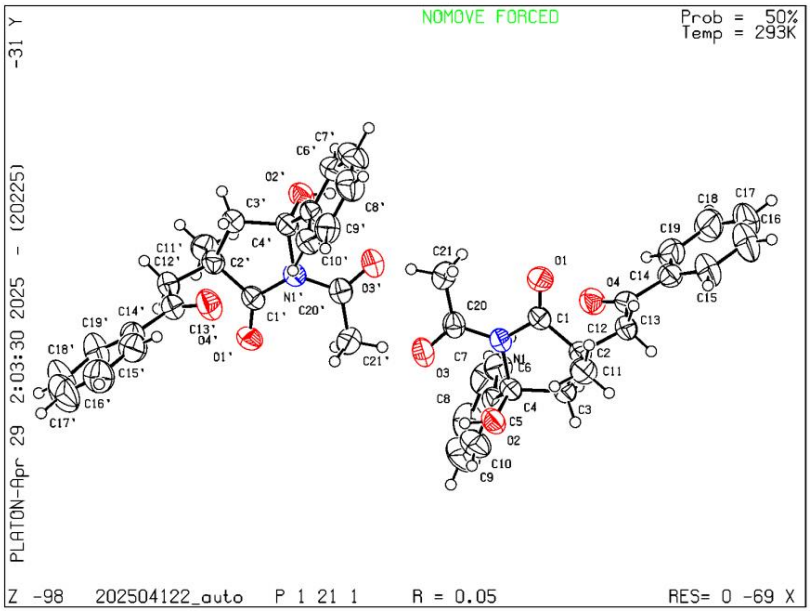


3aa HMBC



6.The Single Crystal X-ray Diffraction Study

X-ray structure of 3aa



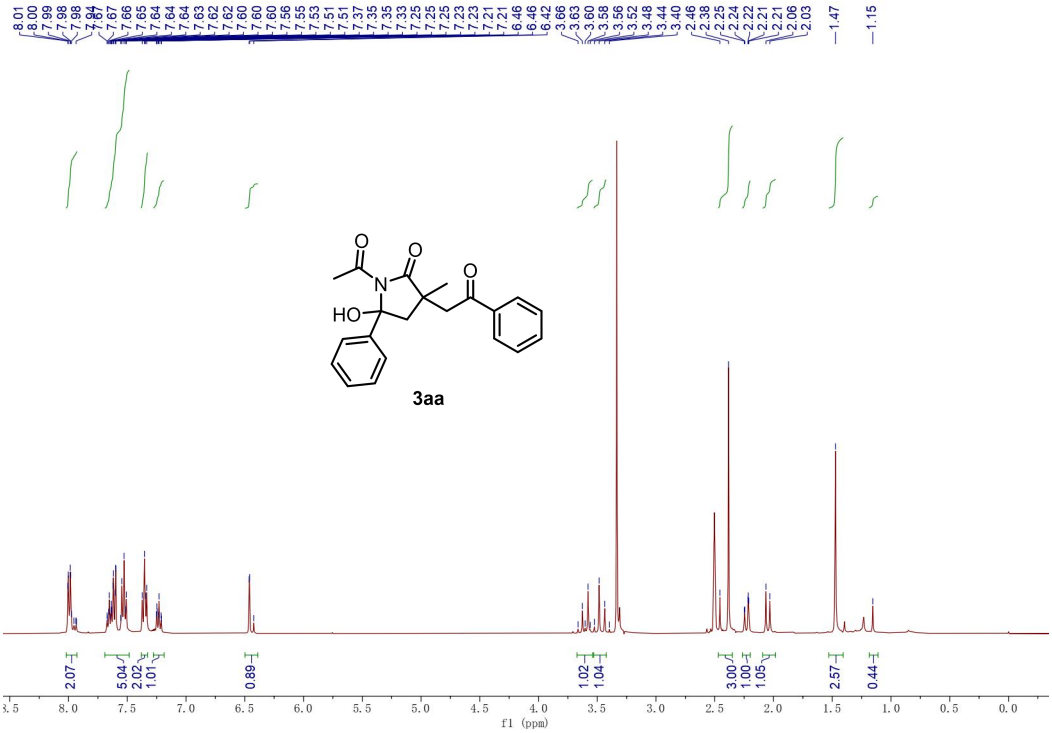
The product **3aa** was recrystallized from petroleum ether/ethyl acetate at room temperature. Fuether information can be found in the CIF file. This crystal was deposited in the Cambridge Crystallographic Data Center and assigned as CCDC2447550. ORTEP view of complex Ellipsoids are represented at the 50% probability level.

Table S1 Crystal data and structure refinement for CCDC 2447550.

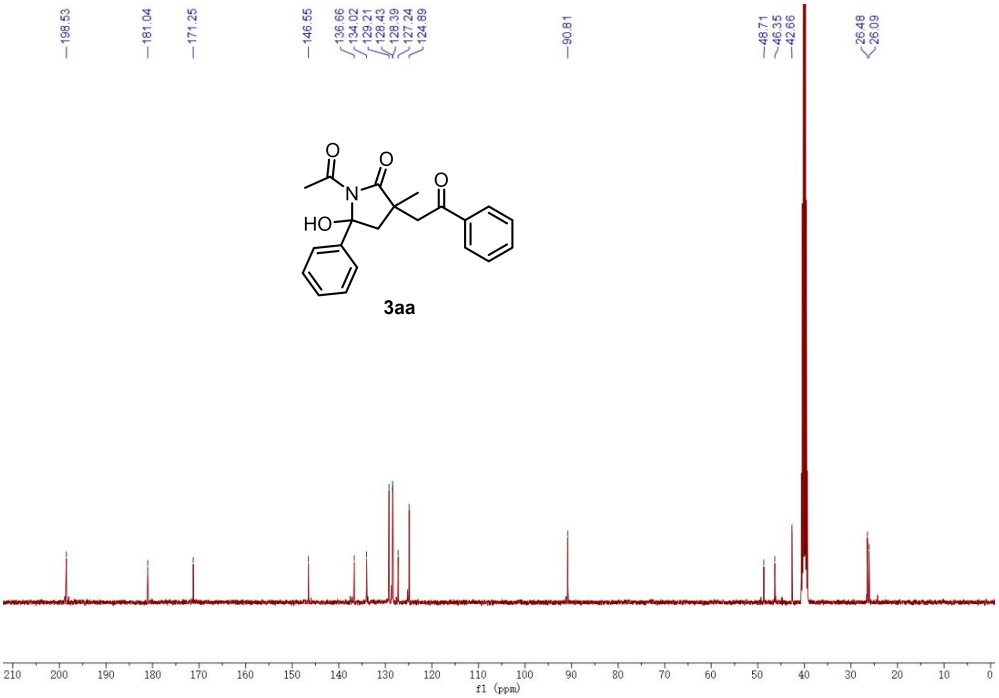
Empirical formula	$C_{21}H_{21}NO_4$
Formula weight	351.39
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1$
a/Å	6.22346(18)
b/Å	22.0539(8)

c/Å	13.8854(4)
α/°	90
β/°	101.653(3)
γ/°	90
Volume/Å^3	1866.51(10)
Z	4
ρ_{calc}g/cm^3	1.250
μ/mm^{-1}	0.705
F(000)	744.0
Crystal size/mm^3	0.15 × 0.11 × 0.1
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/°	7.638 to 141.906
Index ranges	-7≤ h≤7, -26≤k≤20, -16≤ k≤ 16
Reflections collected	21216
Independent reflections	6314 [R_{int} = 0.0395, R_{sigma} = 0.0423]
Data/restraints/parameters	6314/1/475
Goodness-of-fit on F^2	1.020
Final R indexes [I>=2σ (I)]	R_1 = 0.0480, wR_2 = 0.1221
Final R indexes [all data]	R_1 = 0.0546, wR_2 = 0.1298
Largest diff. peak/hole / e Å^{-3}	0.14/-0.25
Flack parameter	0.10(16)

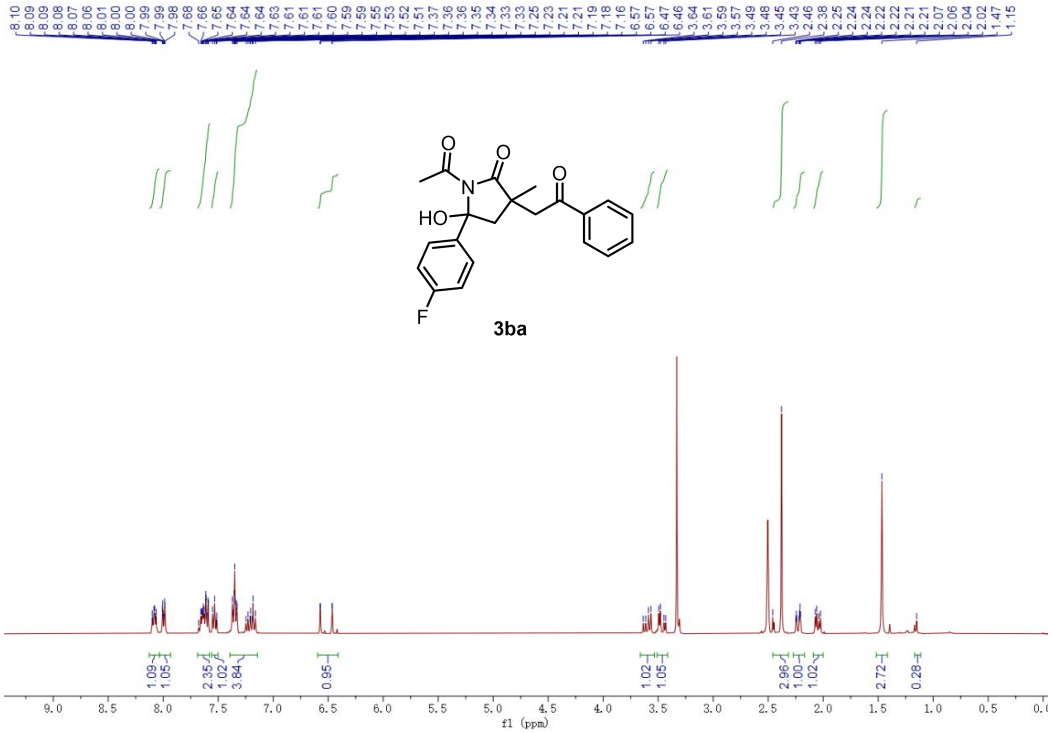
7. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra of the products



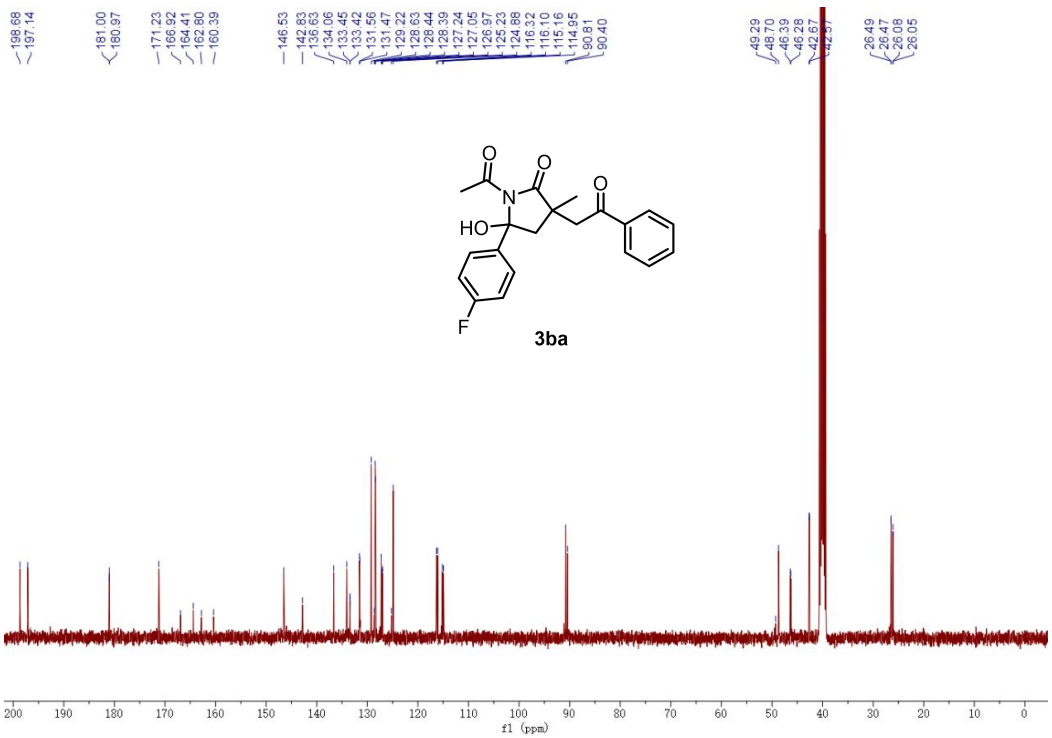
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3aa



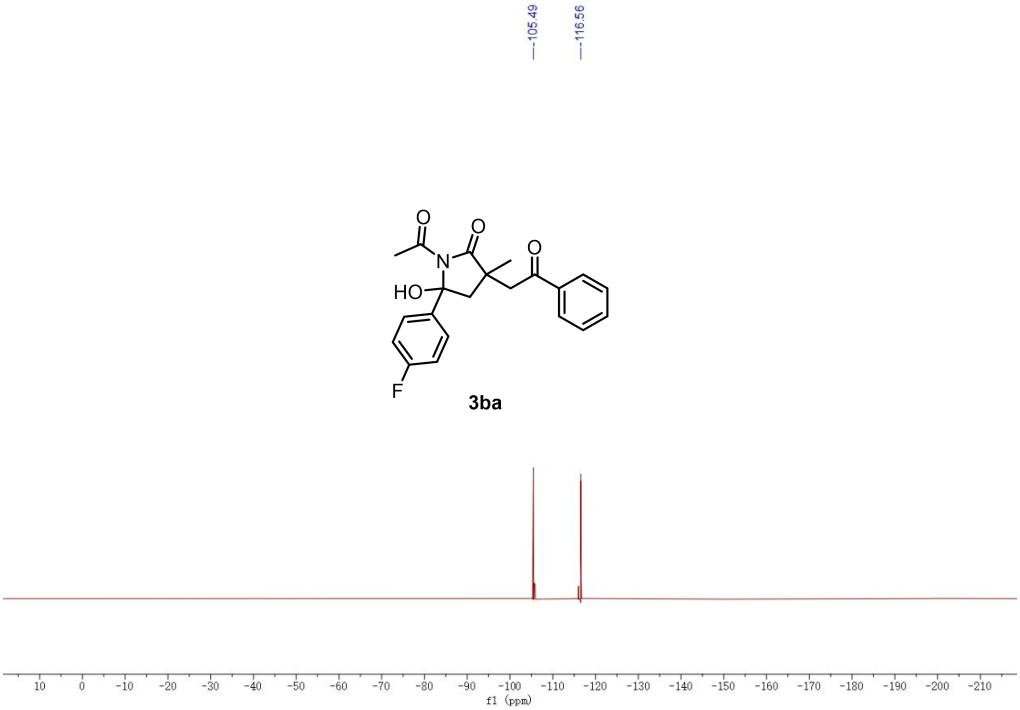
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3aa



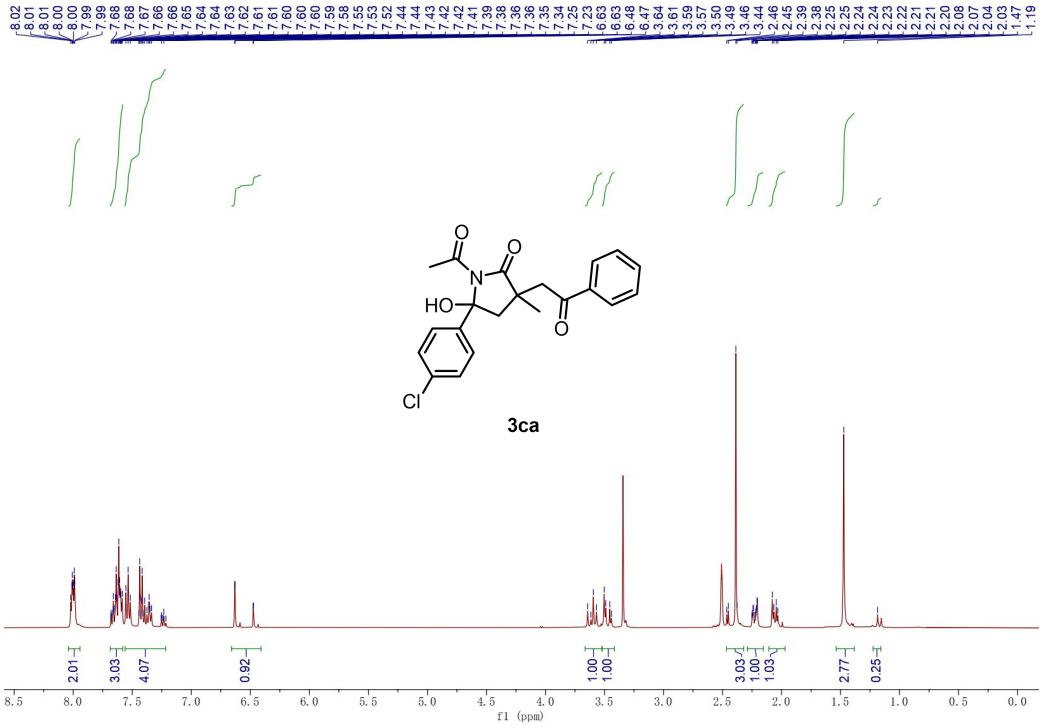
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ba



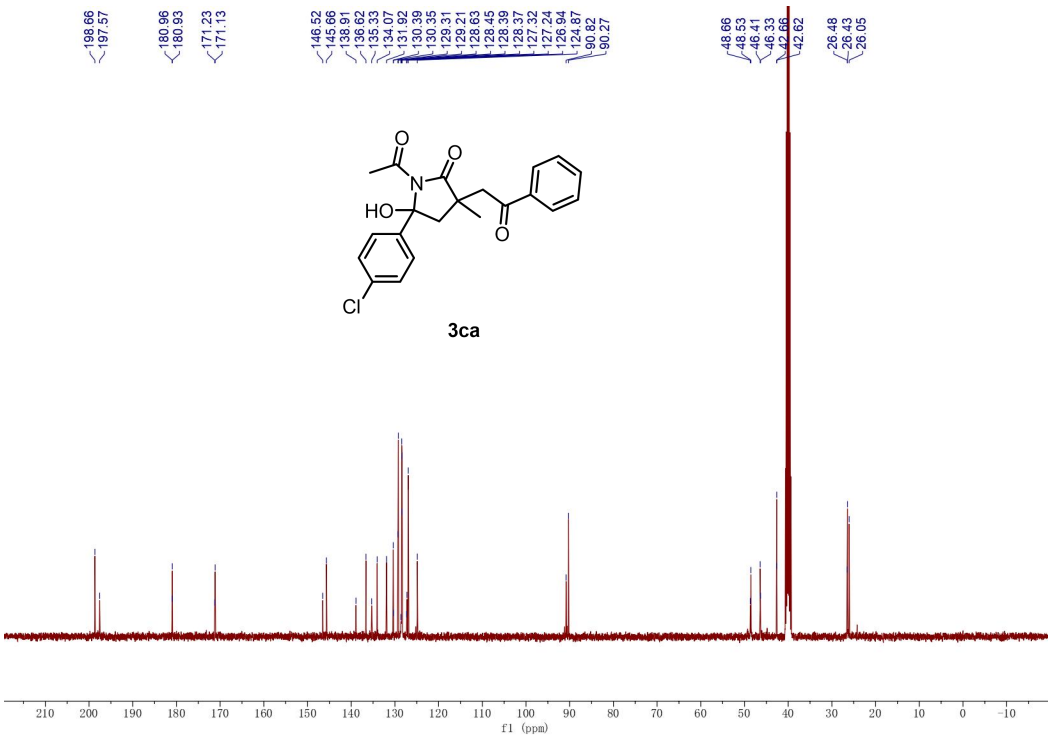
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ba



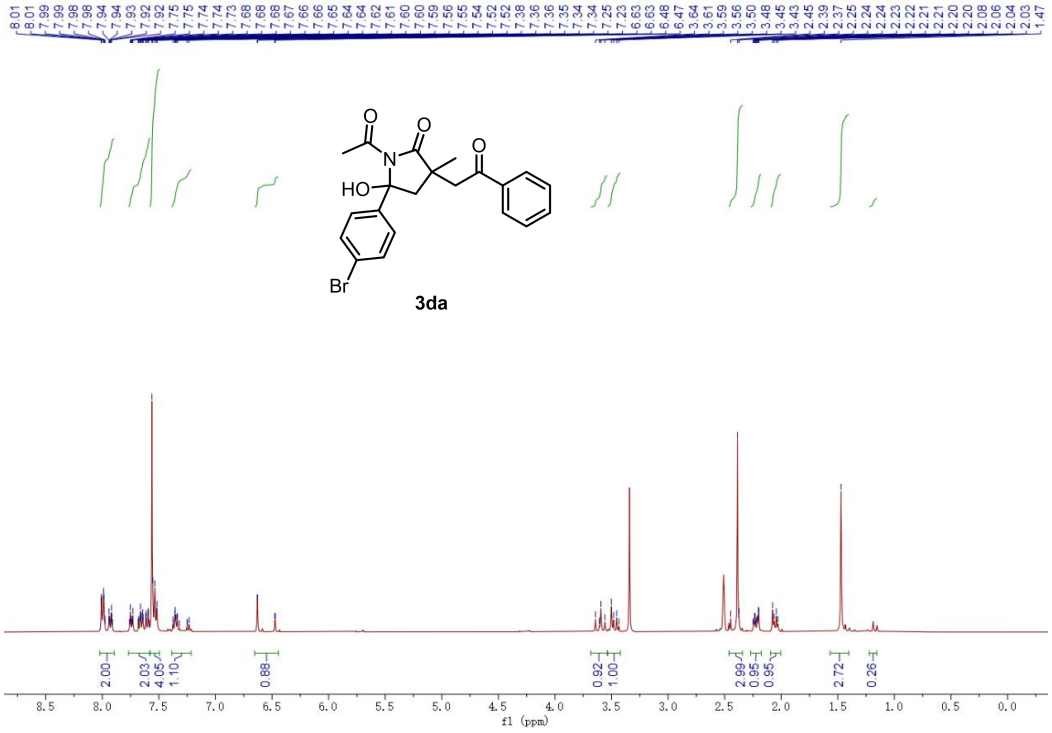
^{19}F NMR (376 MHz, DMSO-d_6) spectrum of compound 3ba



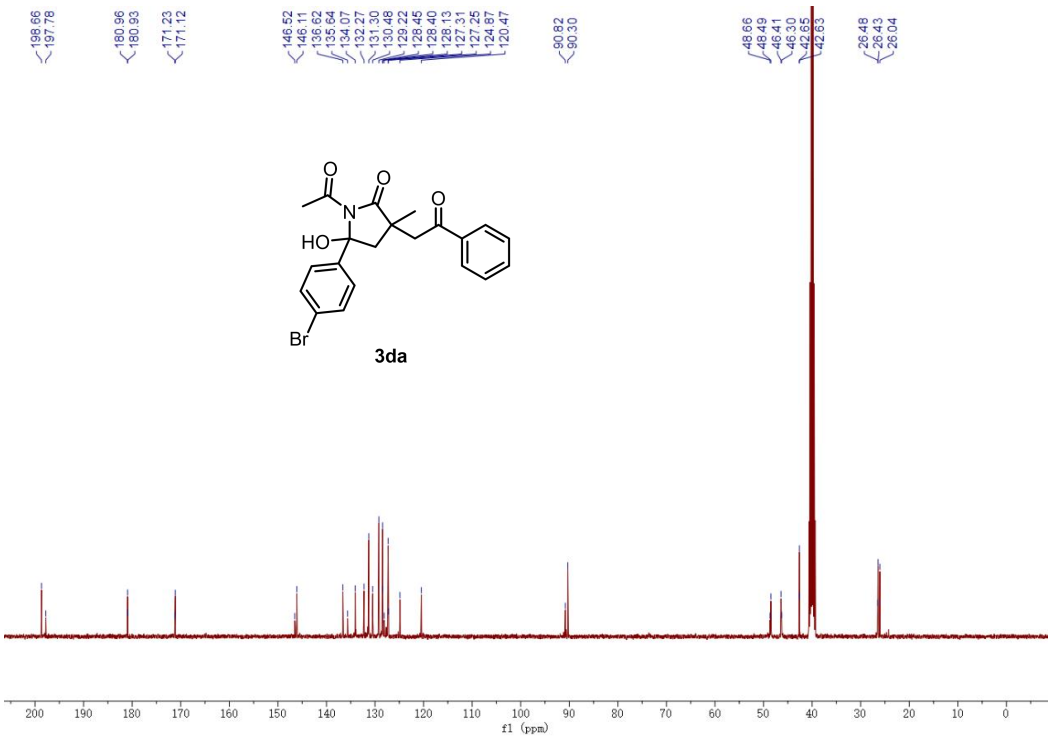
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ca



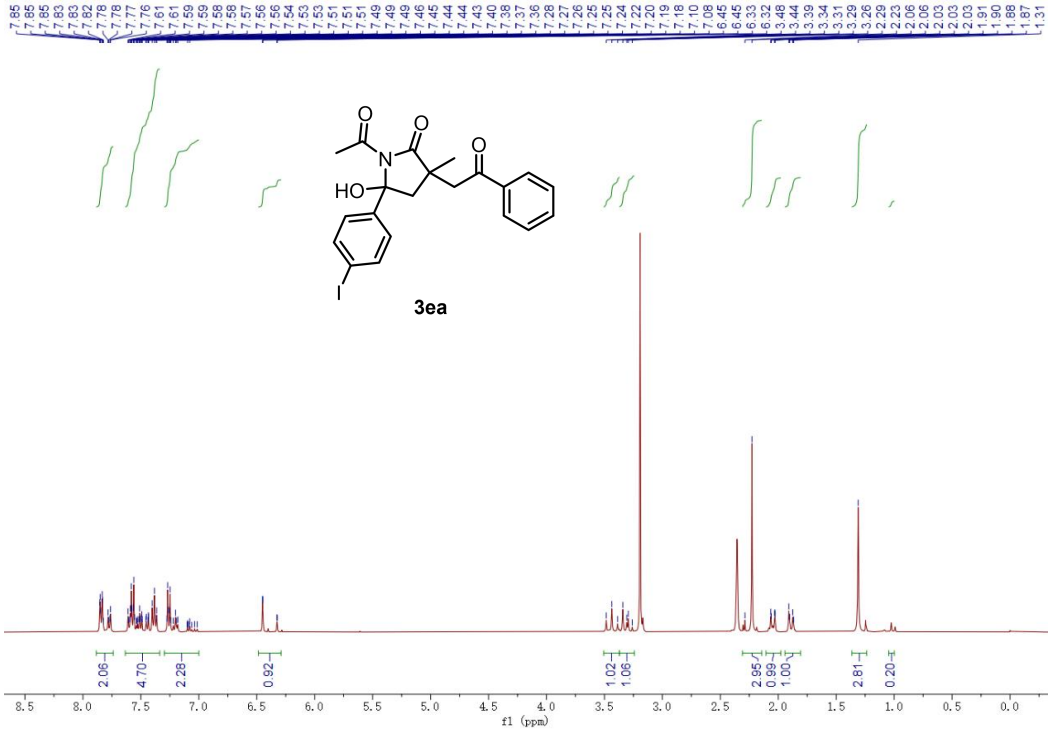
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ca



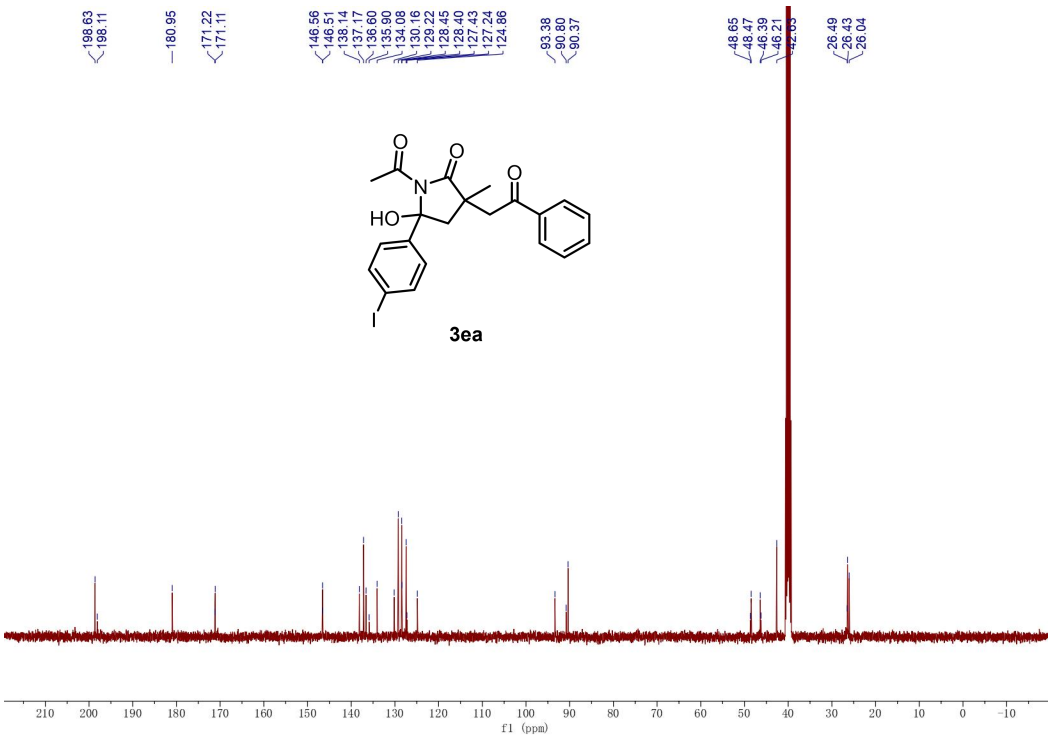
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3da



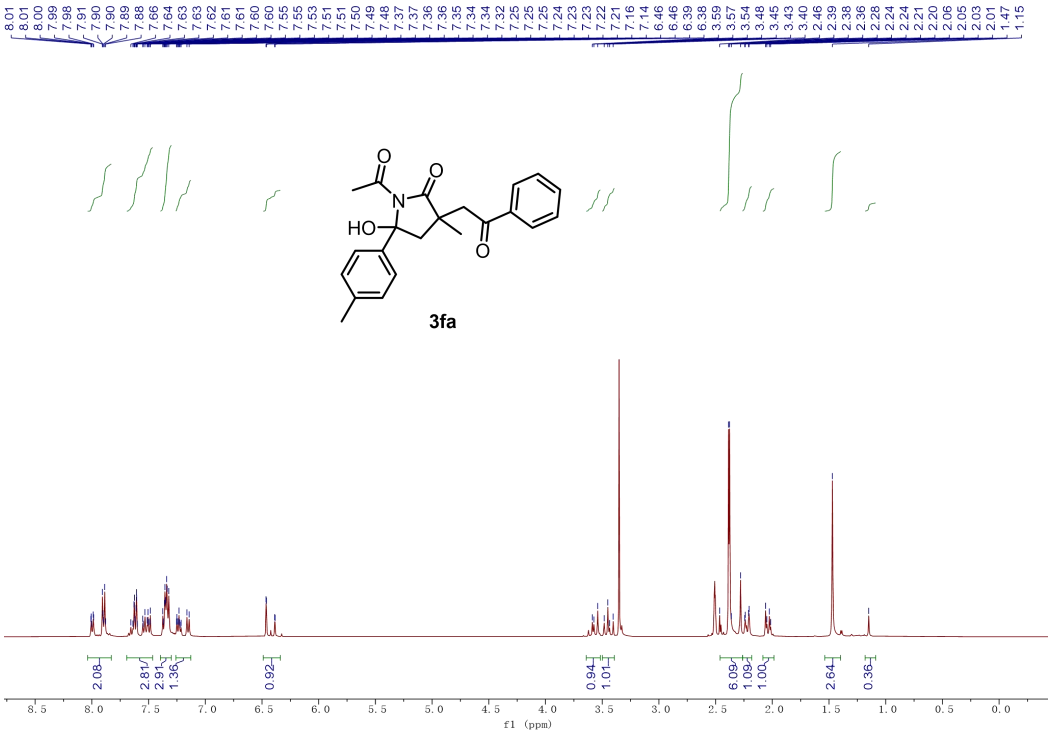
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3da



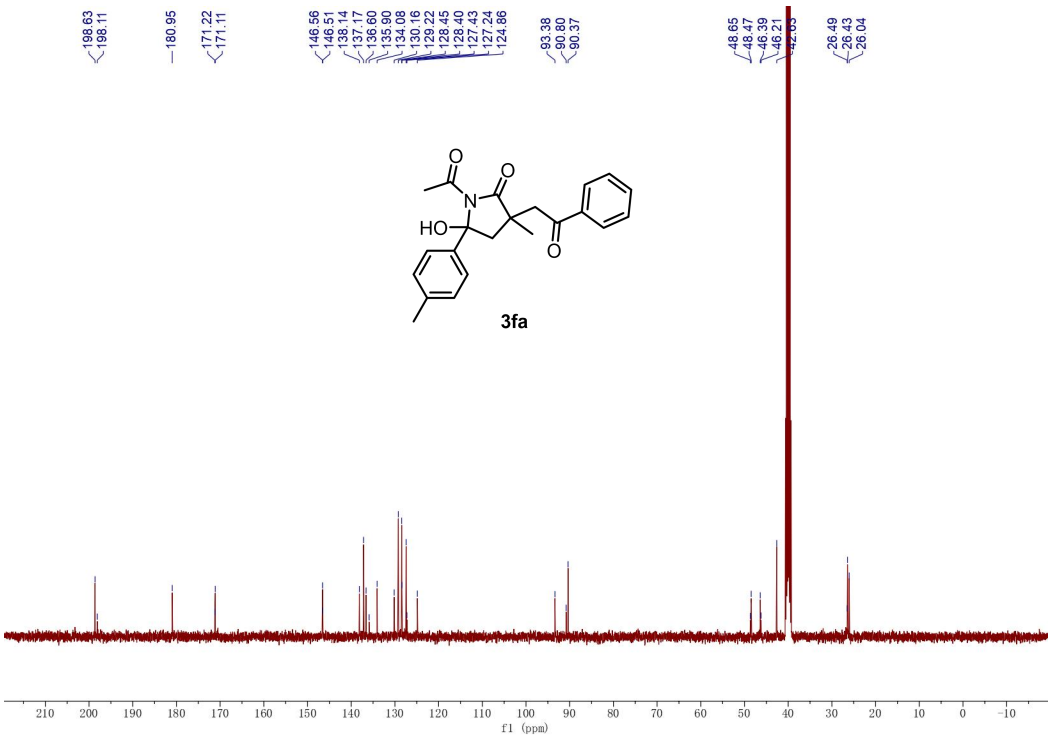
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ea



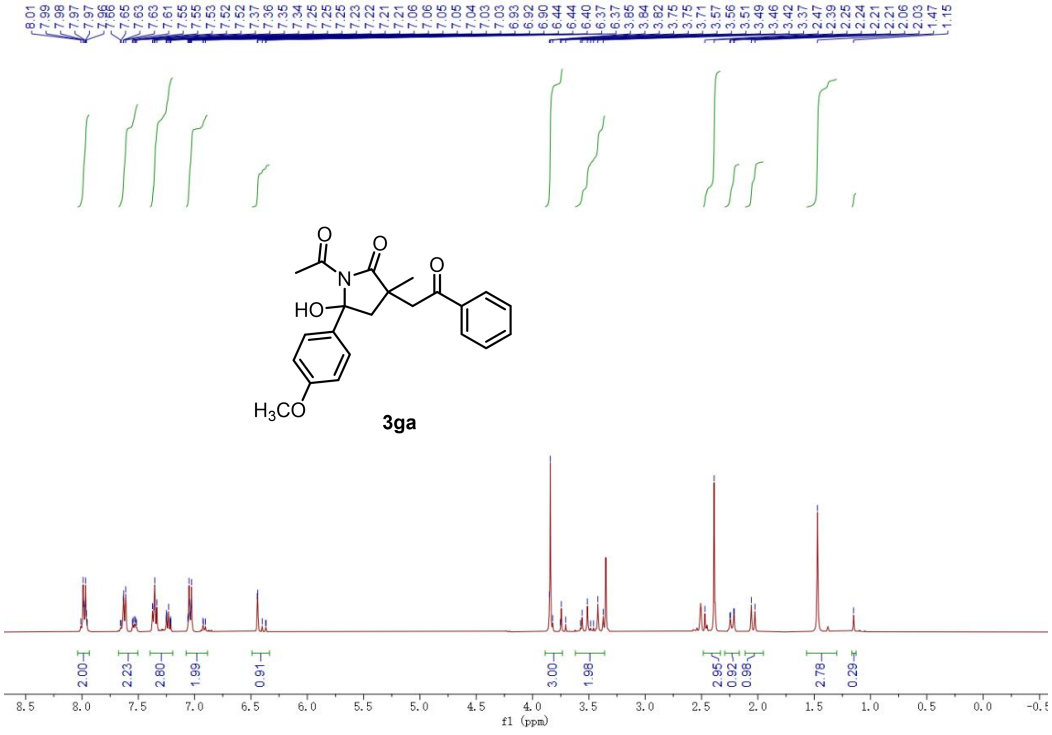
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ea



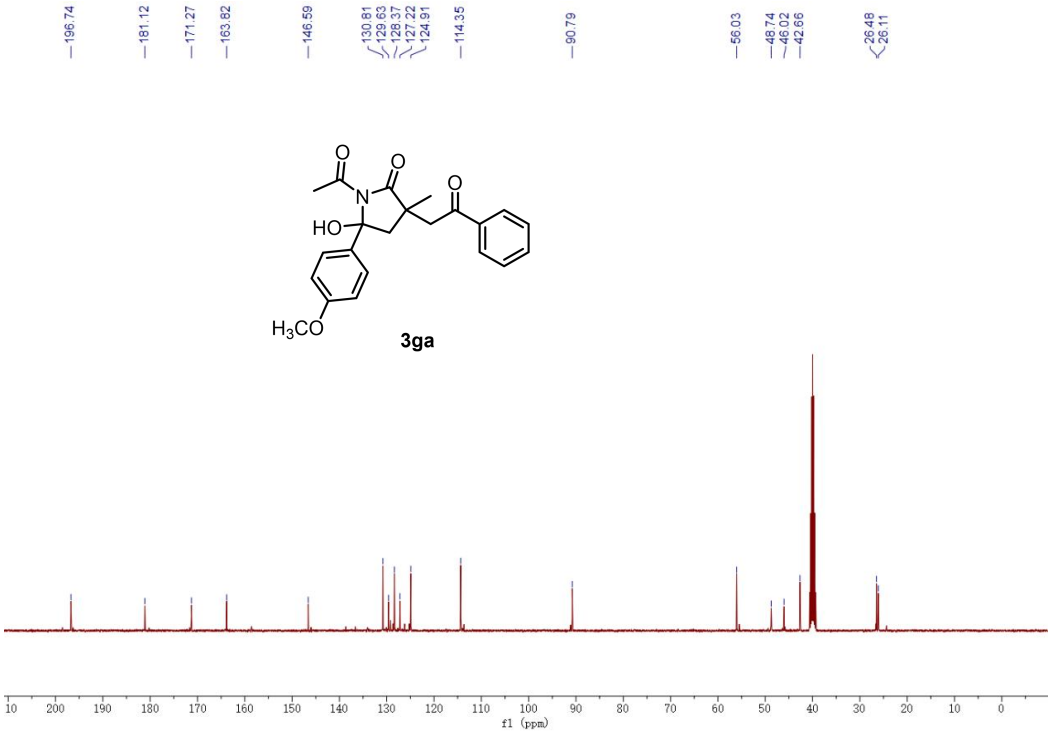
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3fa



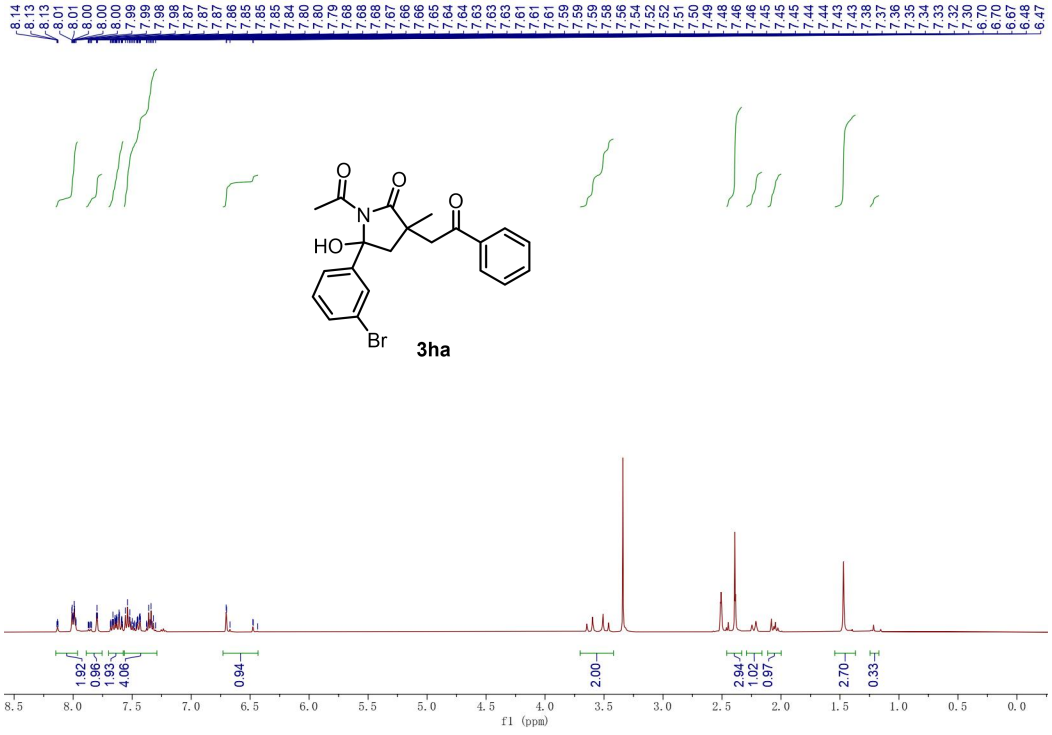
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3fa



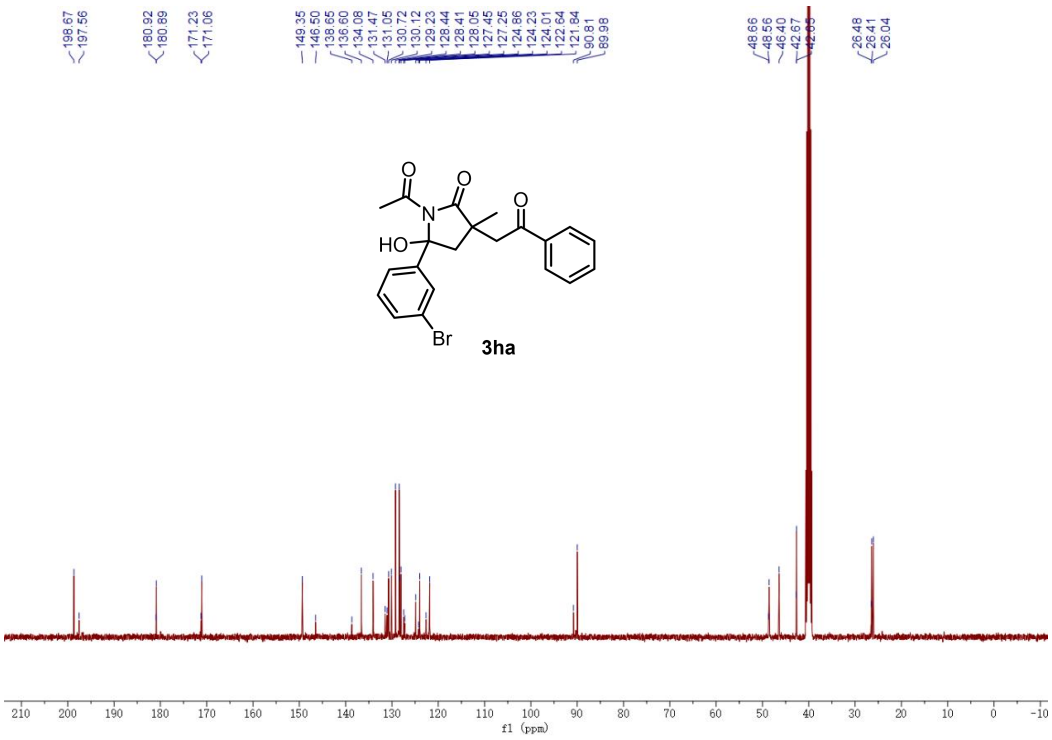
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ga



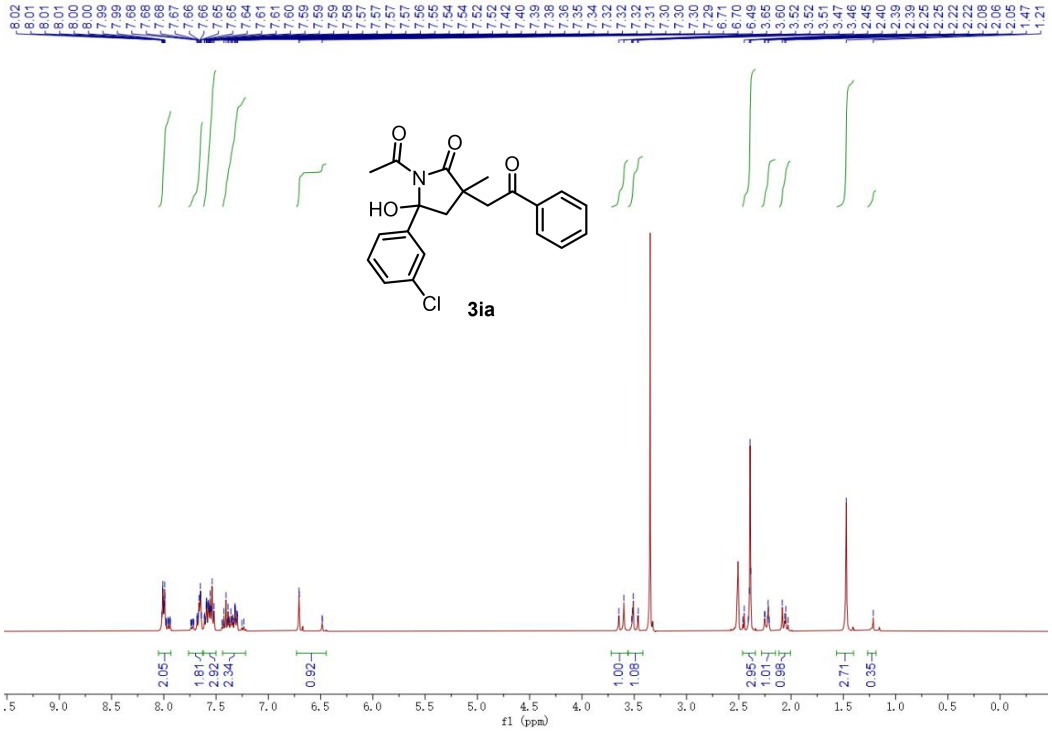
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ga



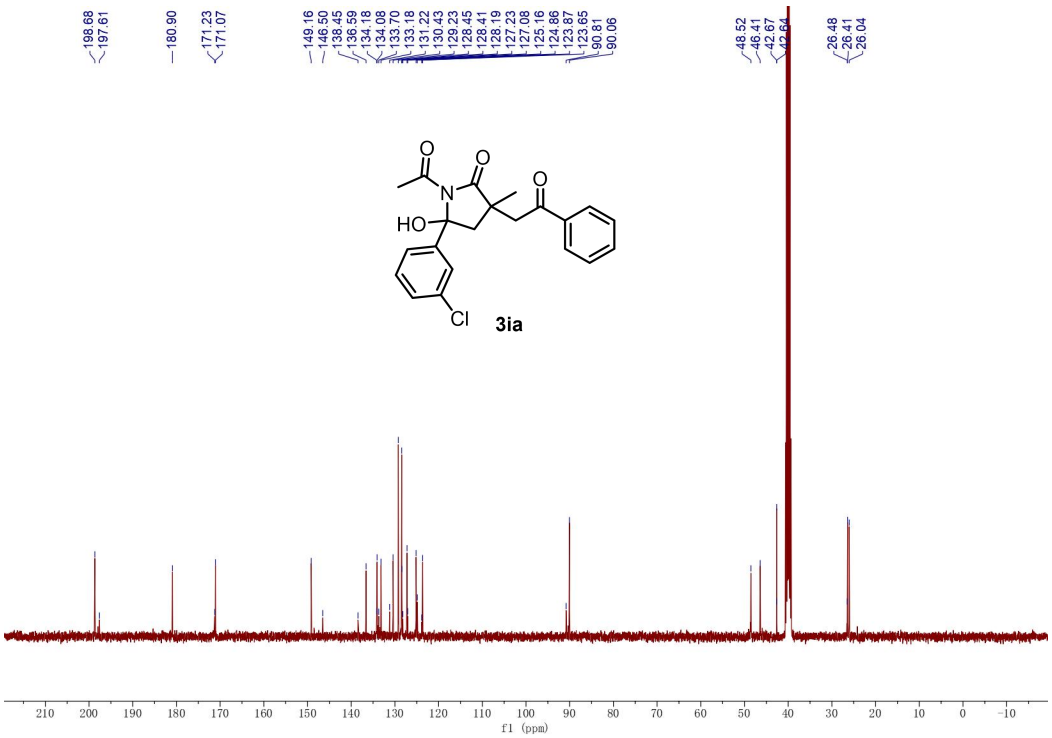
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ha



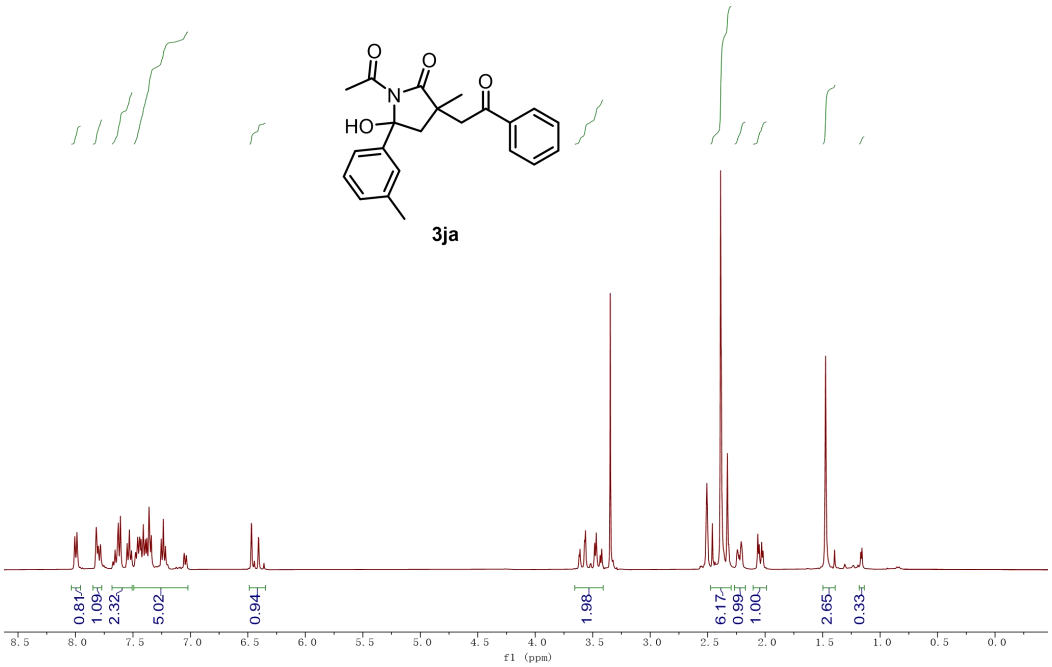
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ha



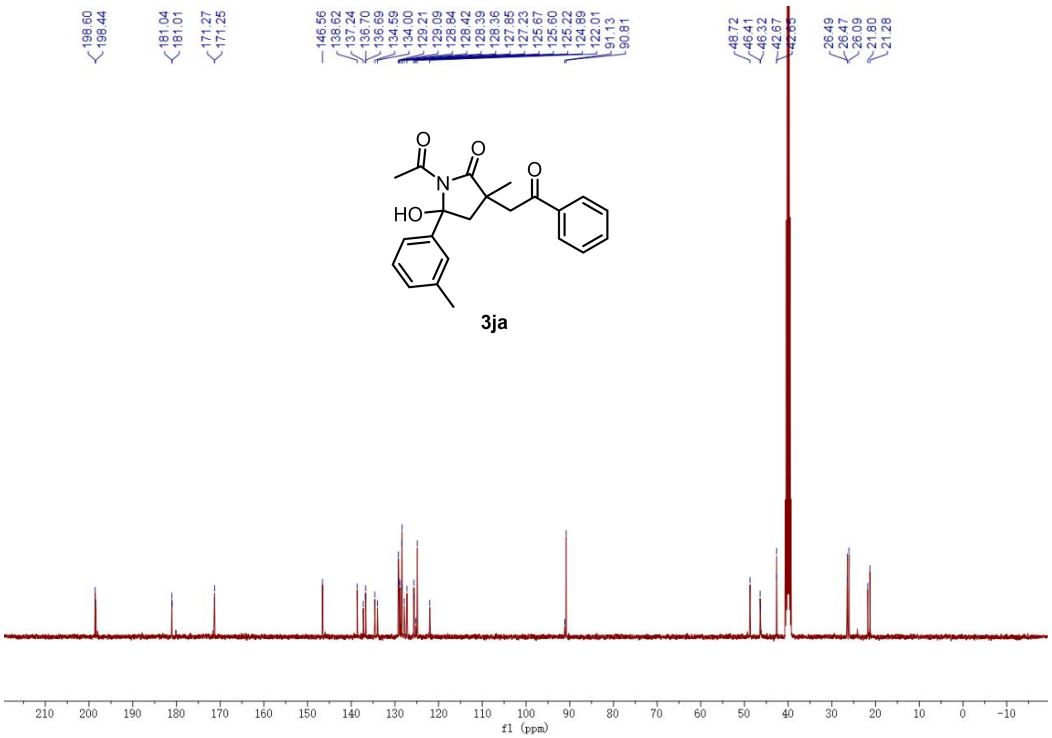
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ia



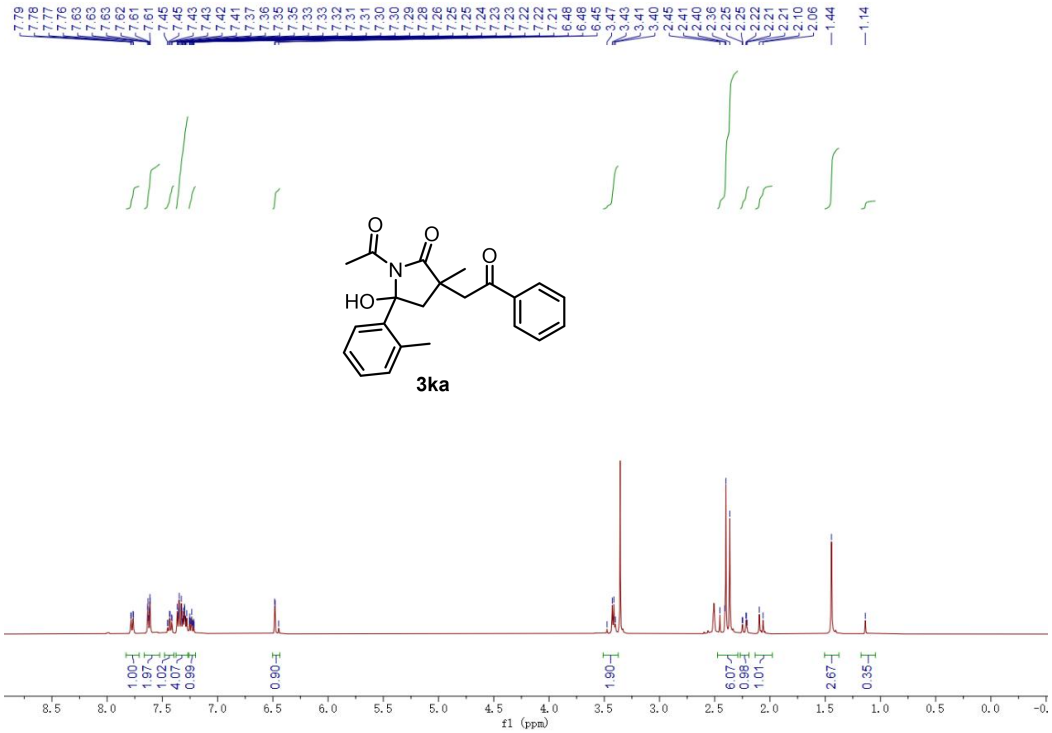
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ia



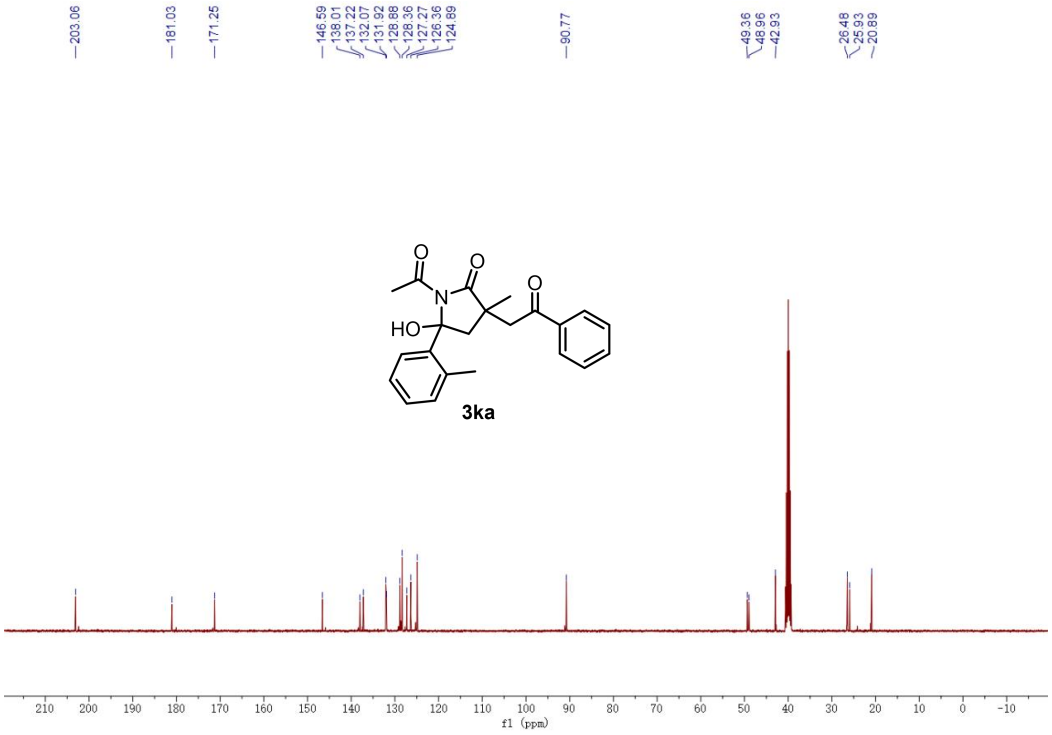
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ja



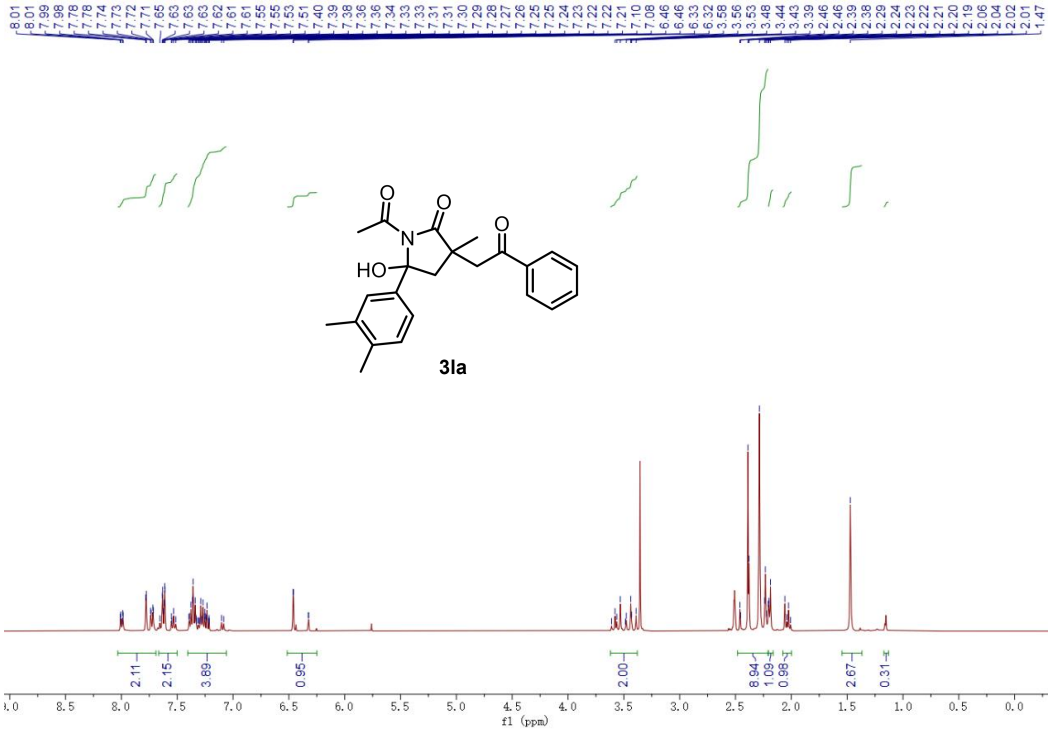
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ja



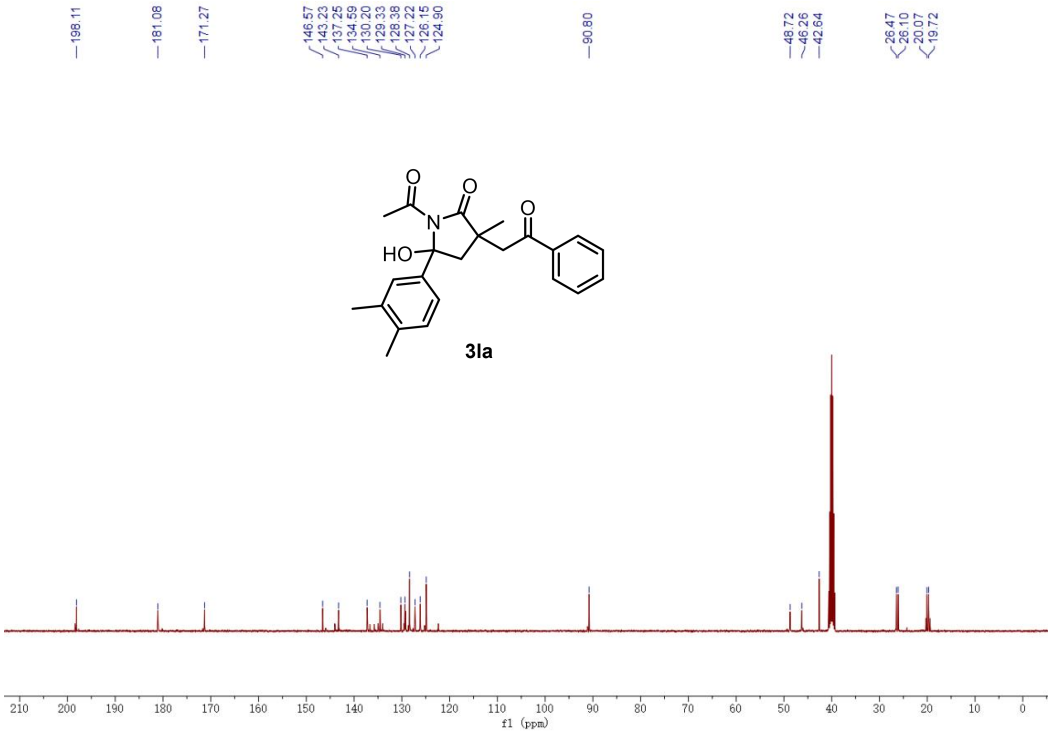
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ka



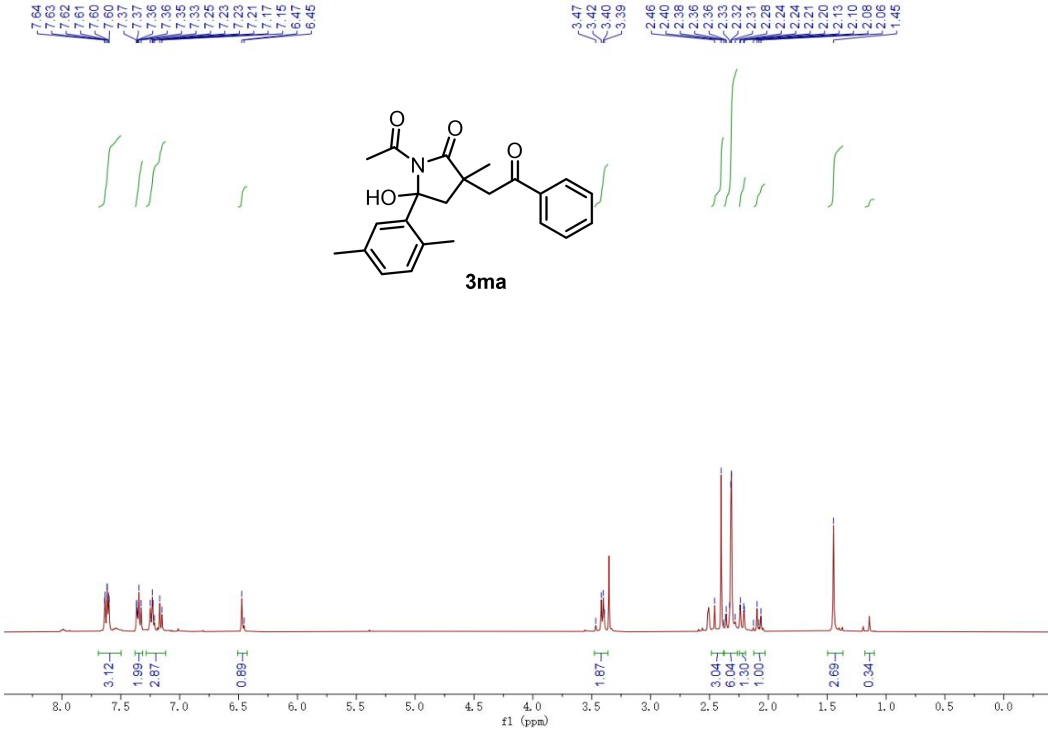
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ka



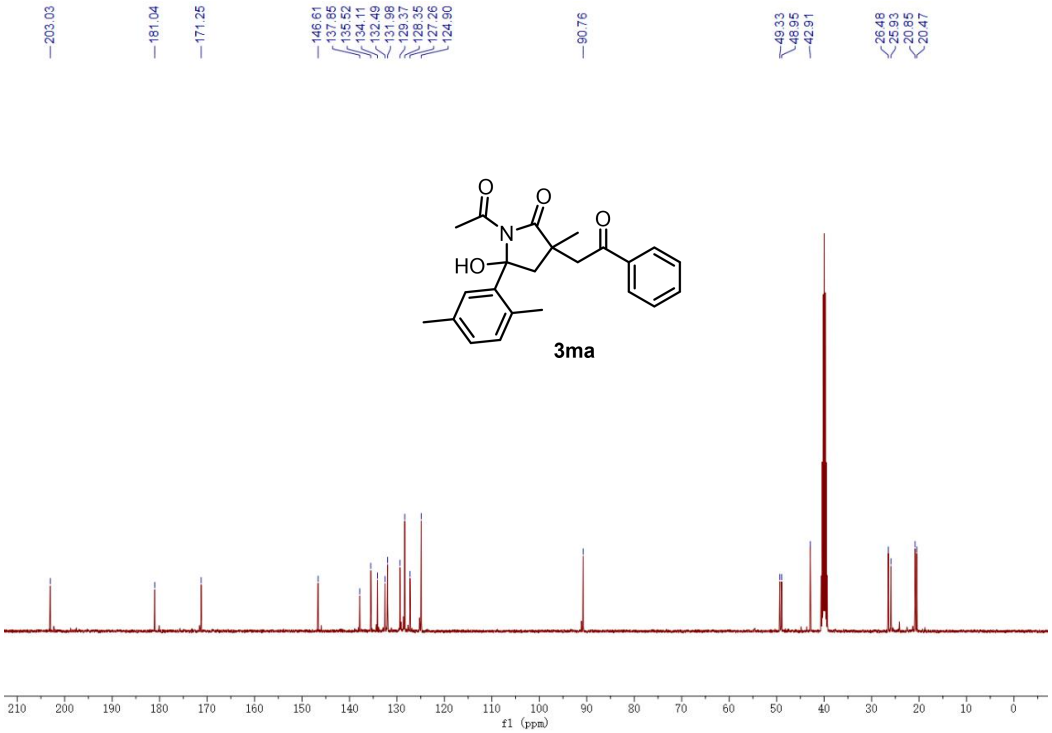
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3la



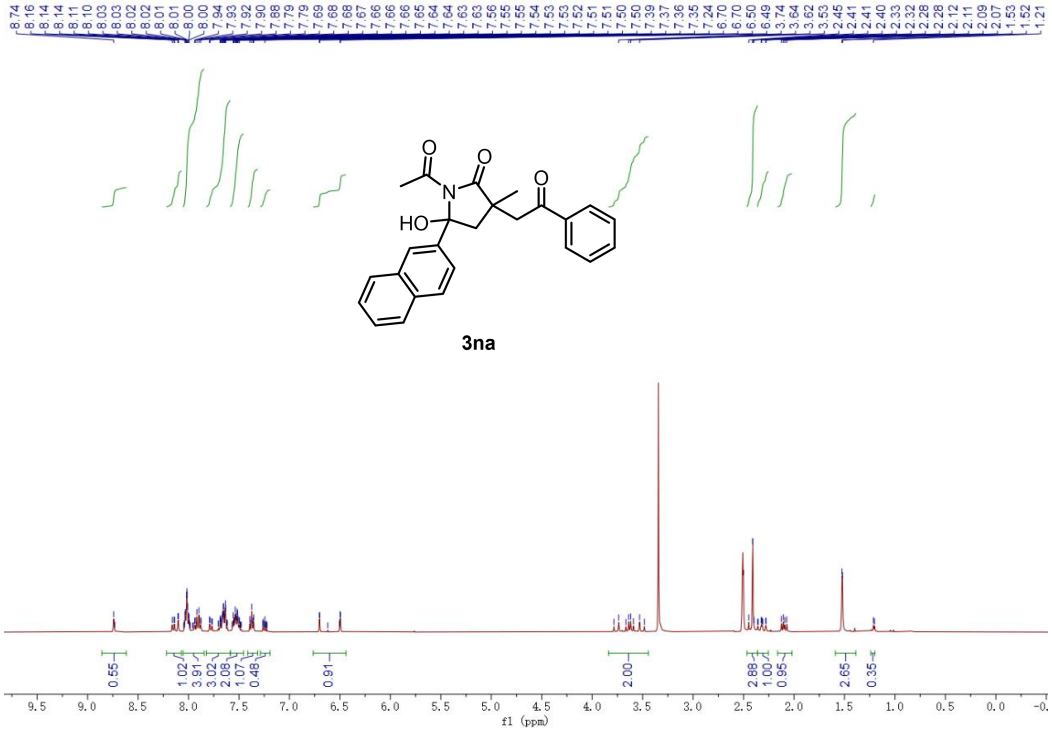
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3la



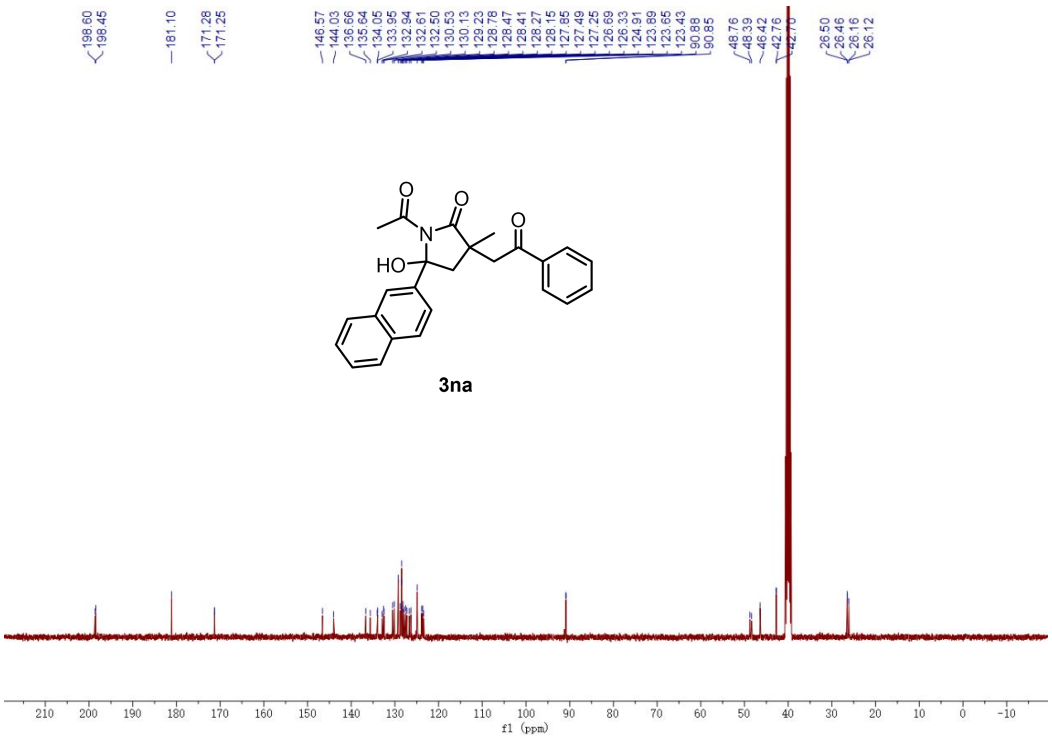
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ma



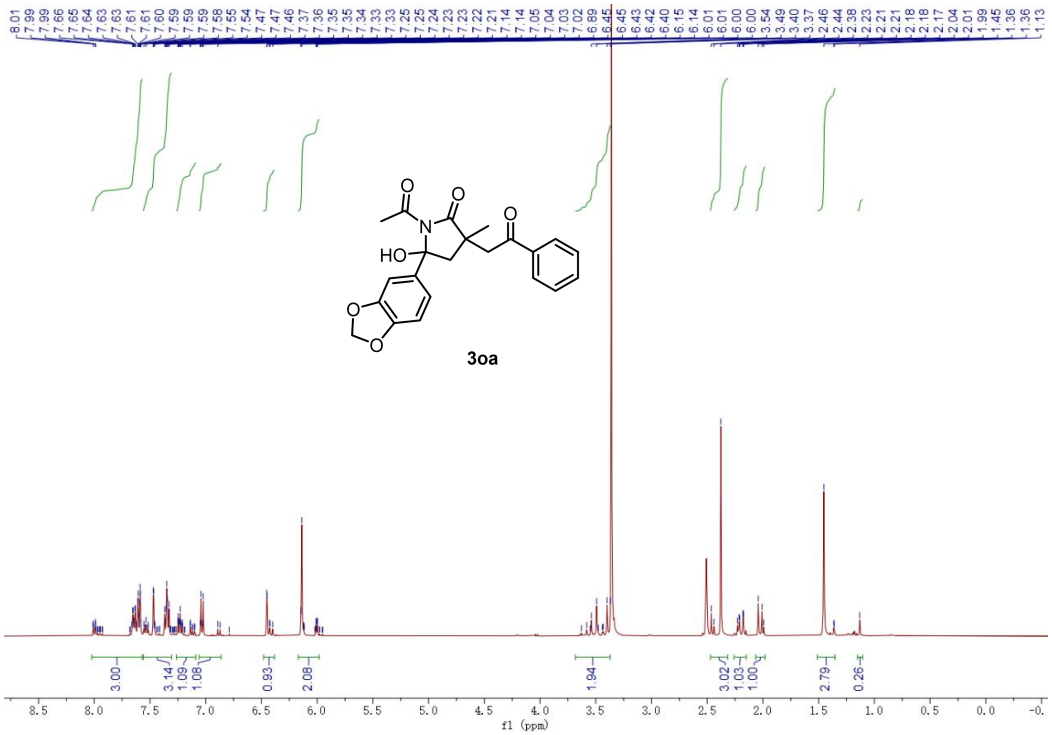
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ma



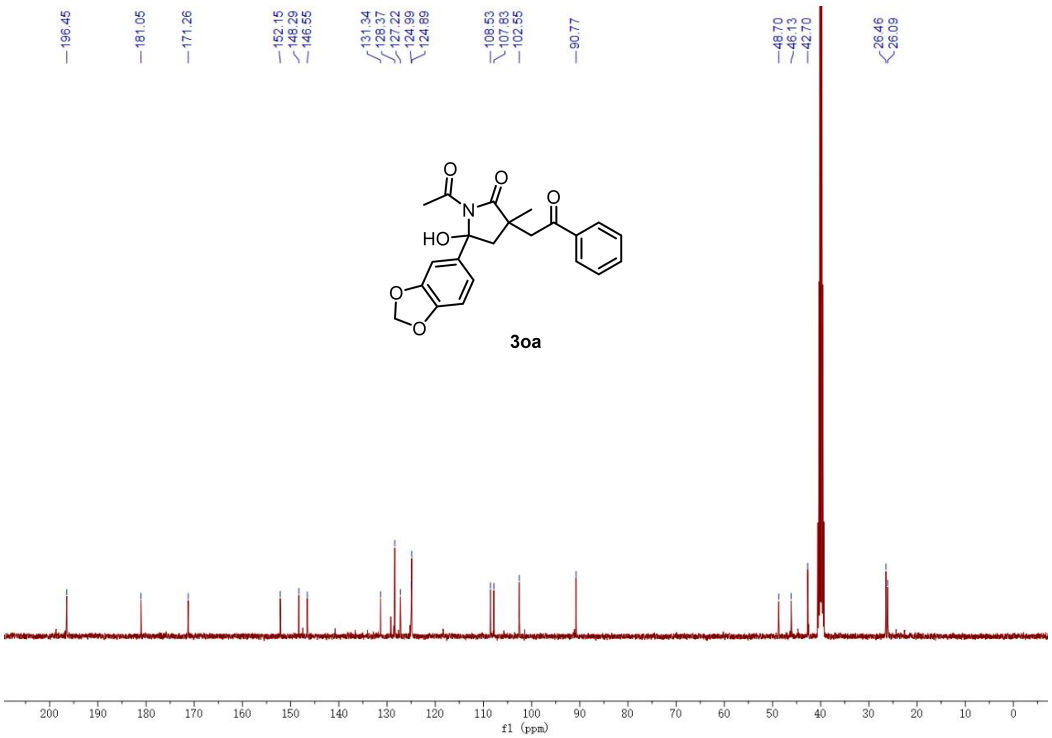
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3na



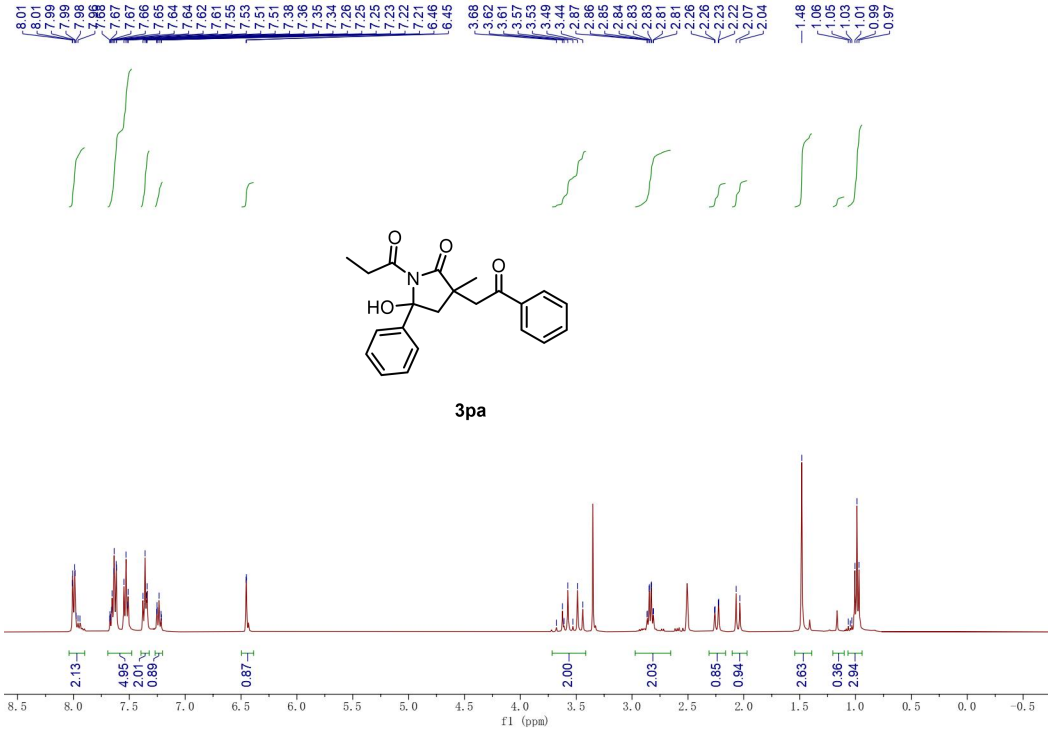
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3na



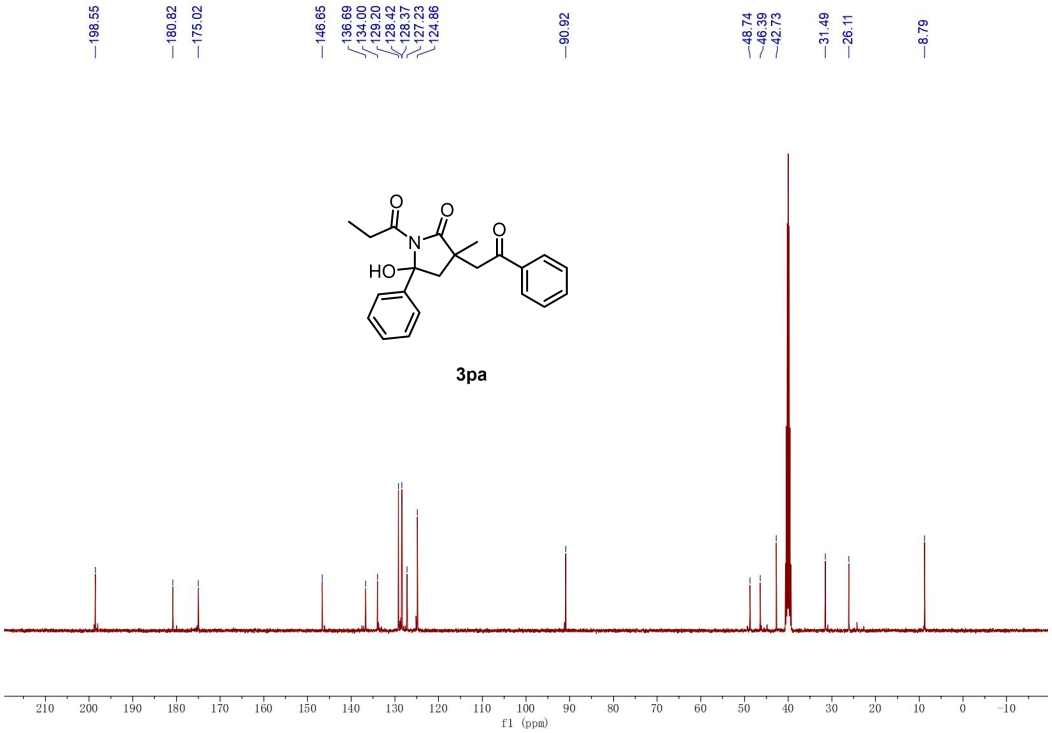
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3oa



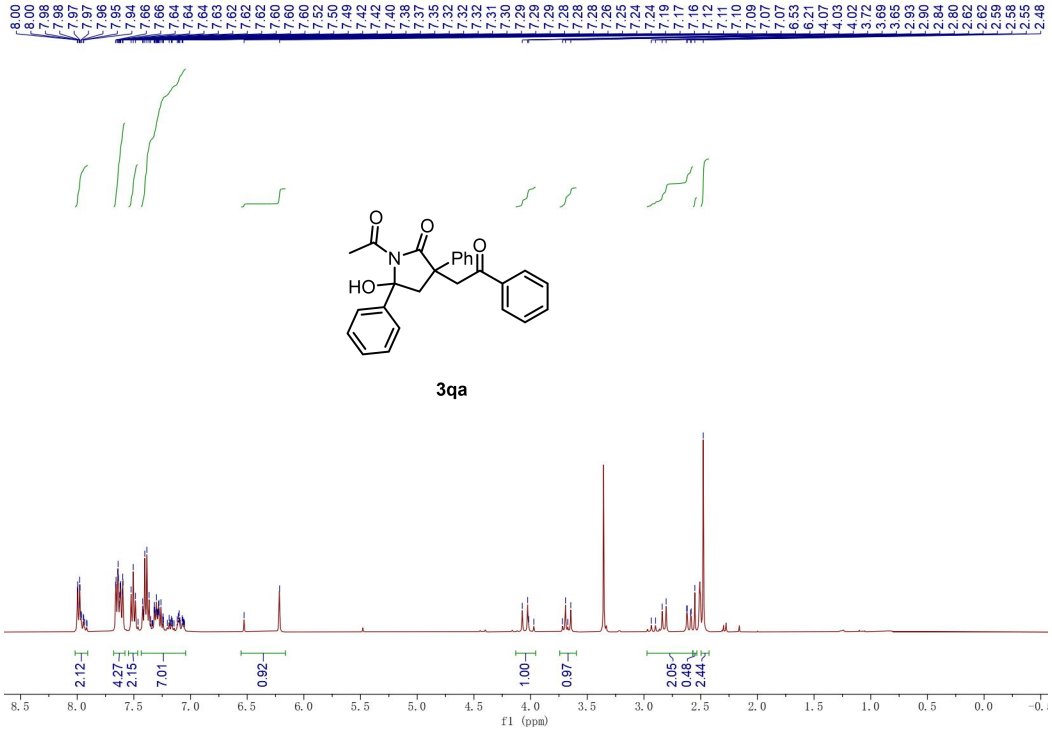
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3oa



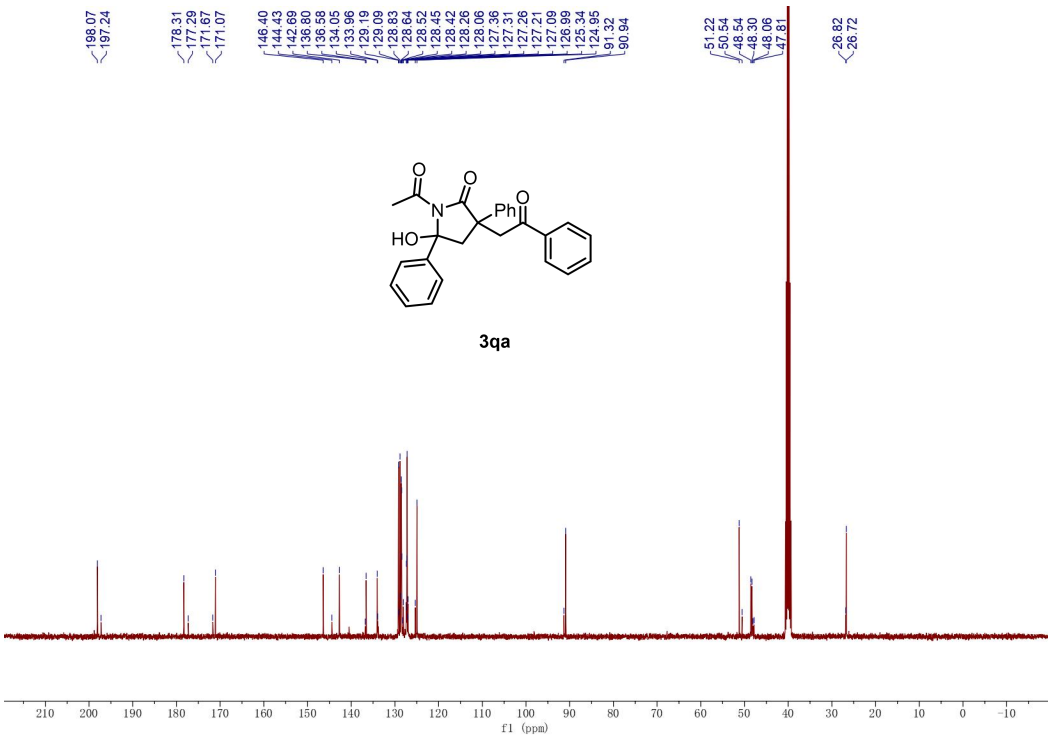
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3pa



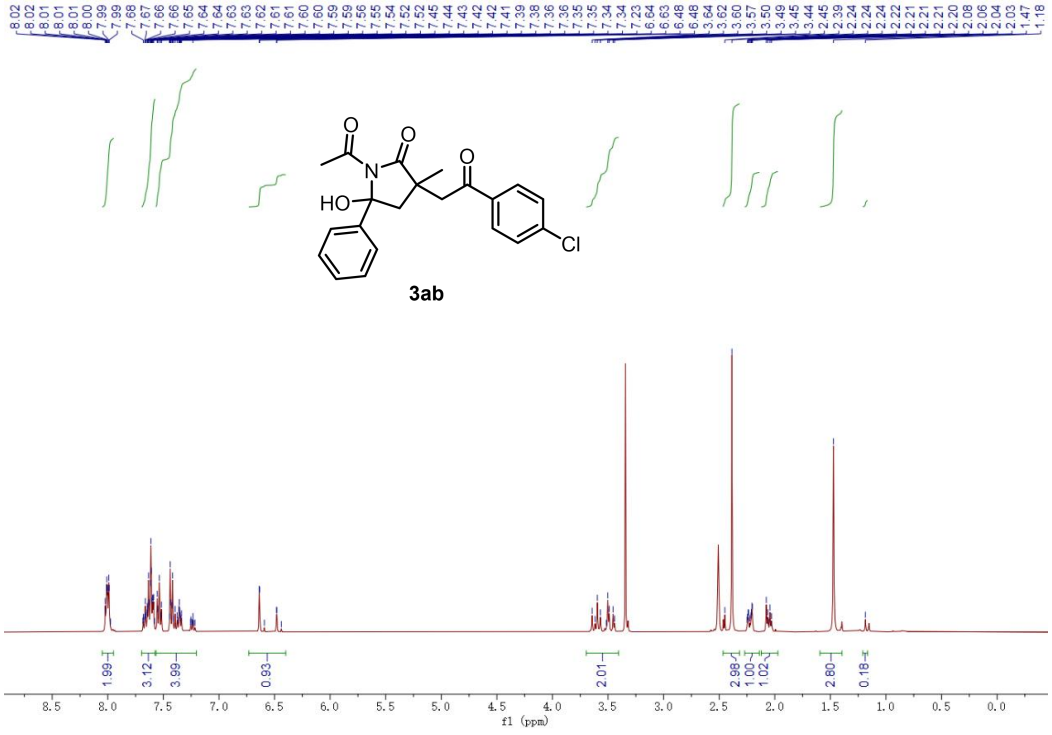
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3pa



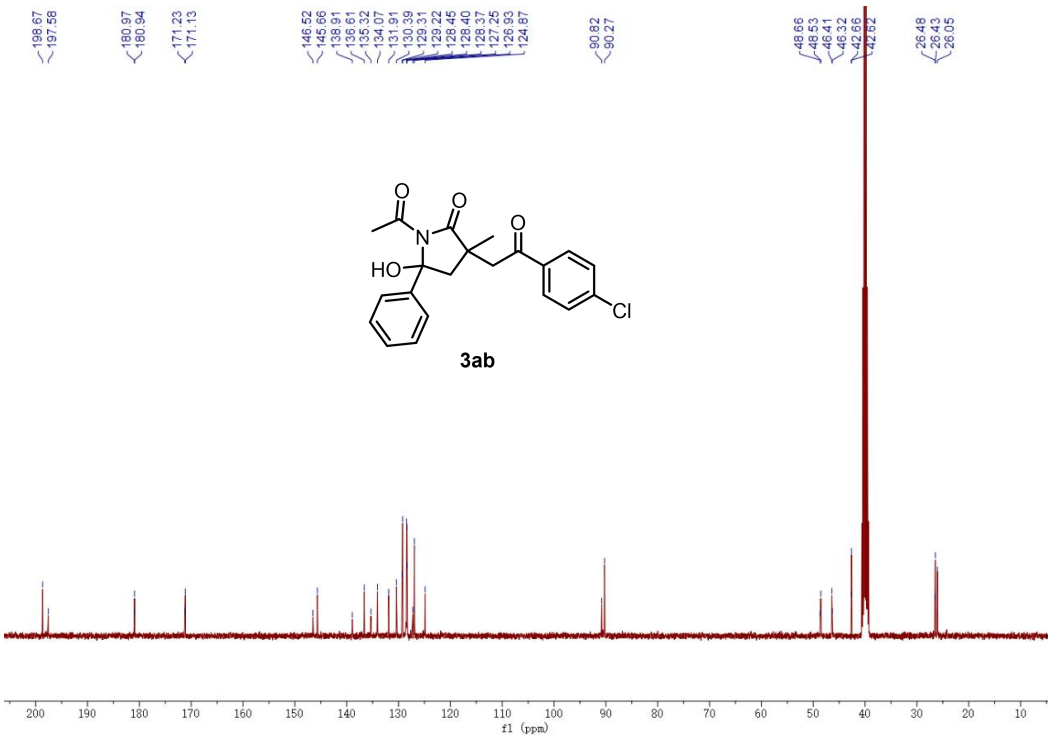
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3qa



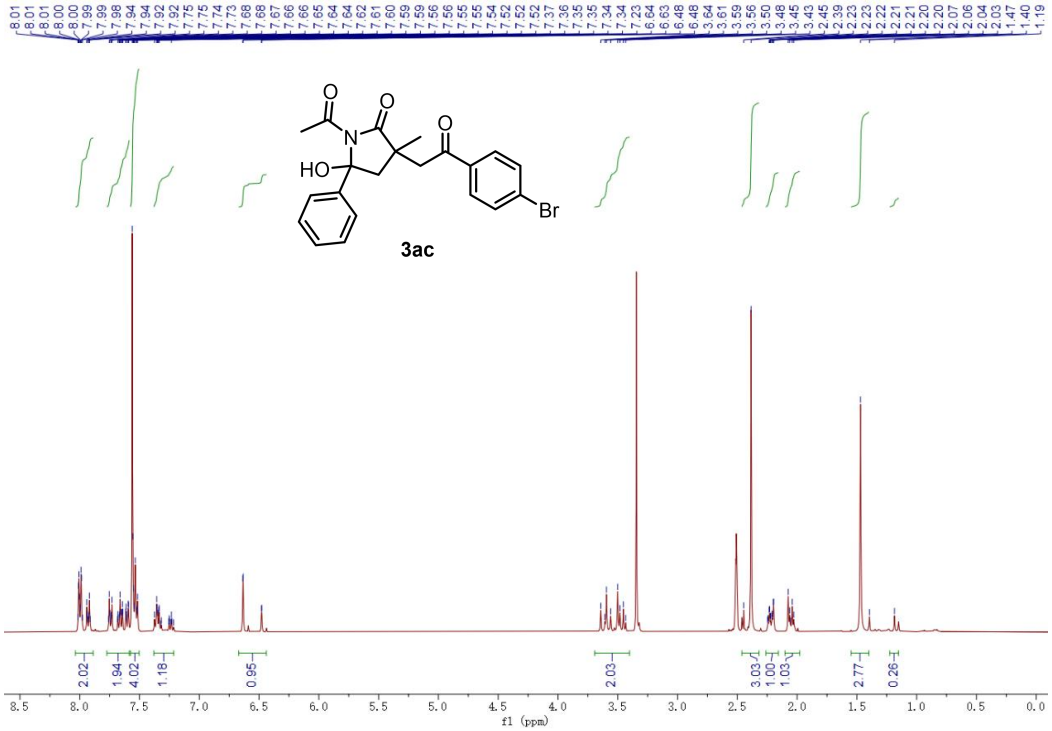
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3qa



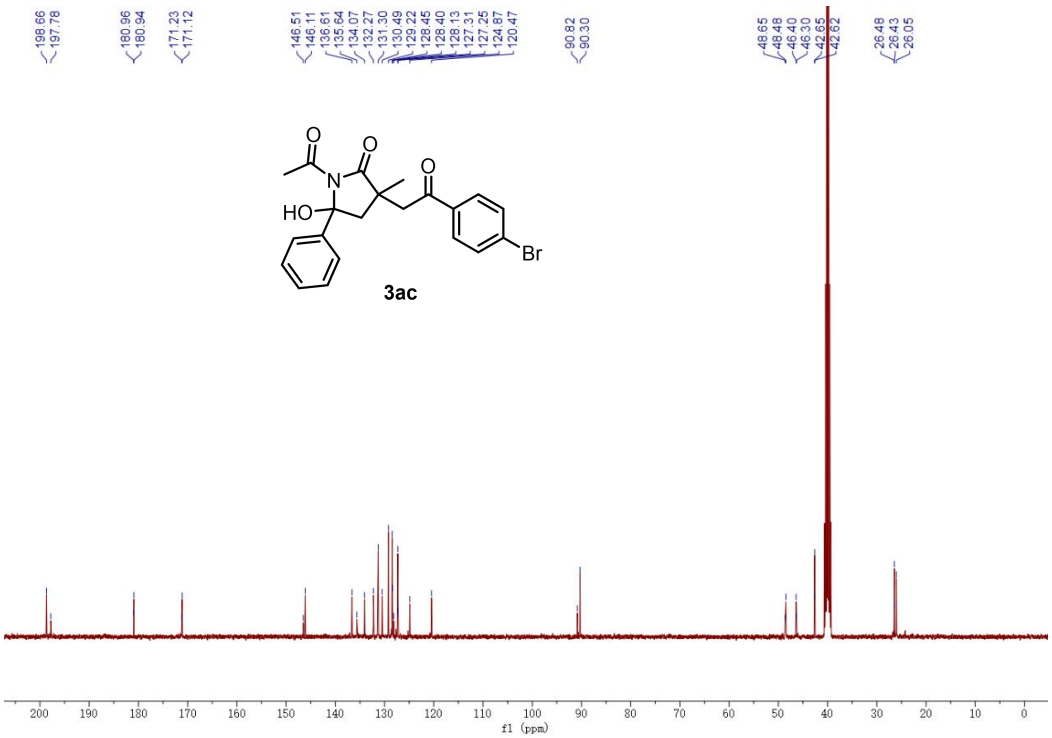
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ab



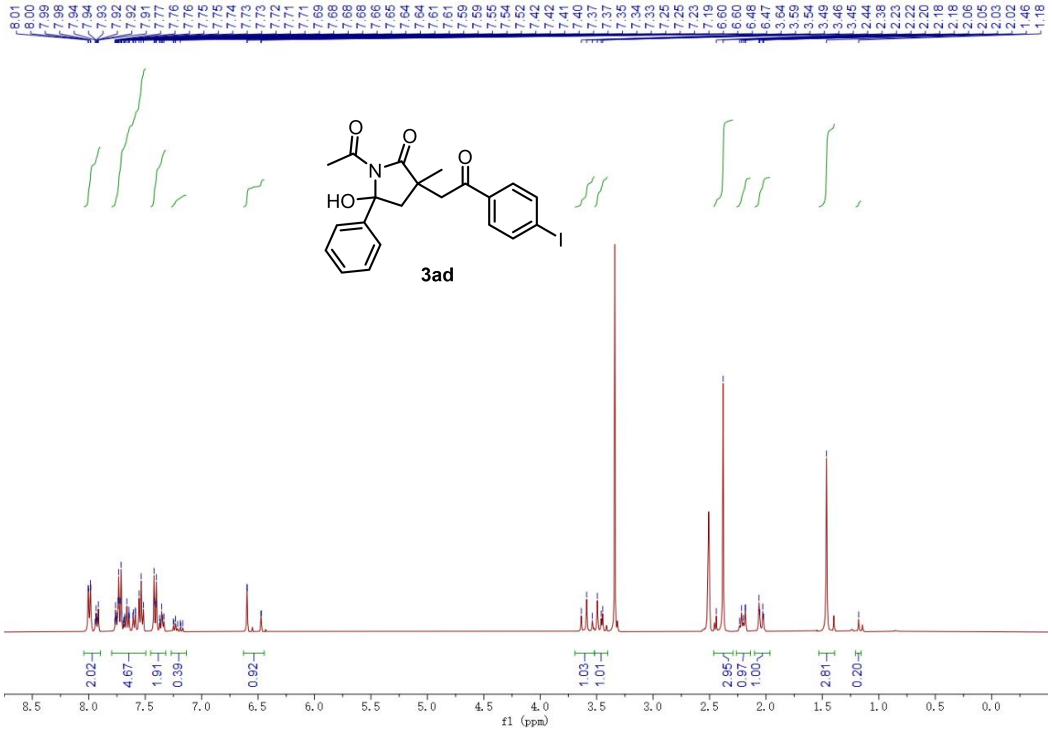
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ab



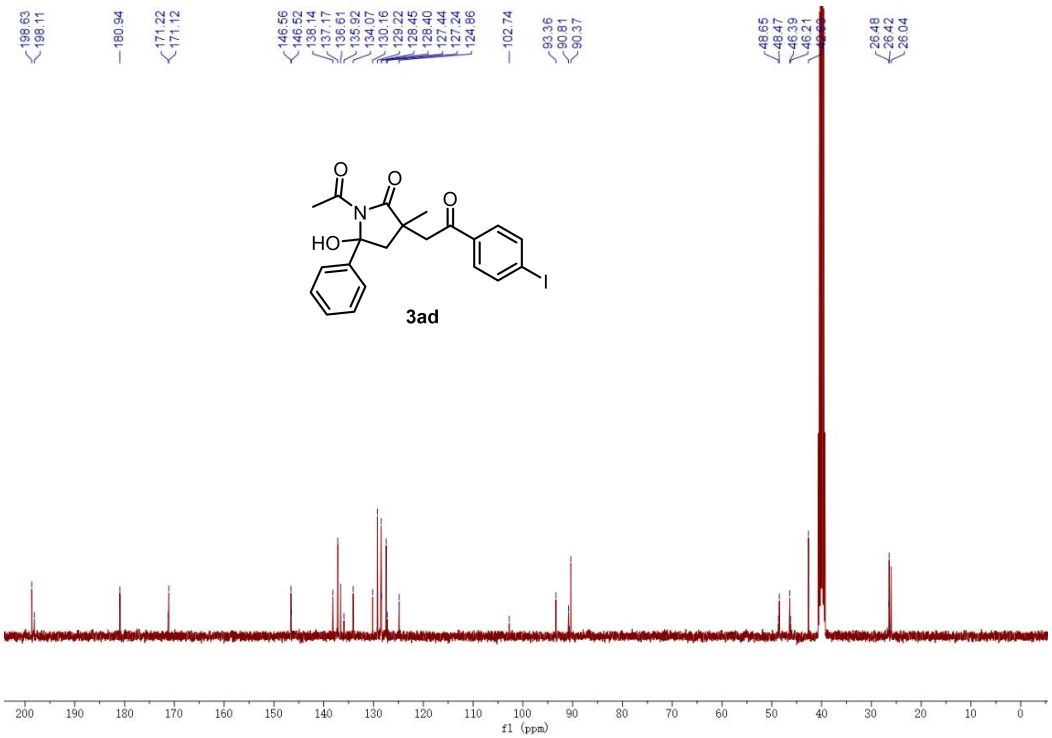
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ac



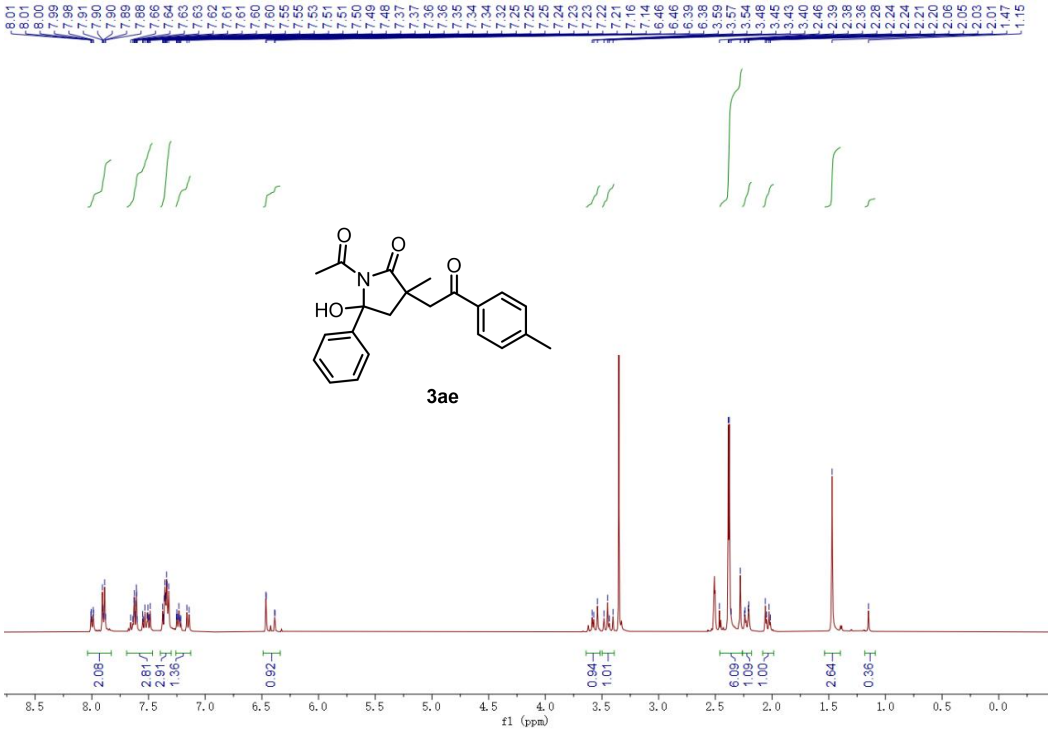
^{13}C NMR (101MHz, DMSO-d_6) spectrum of compound 3ac



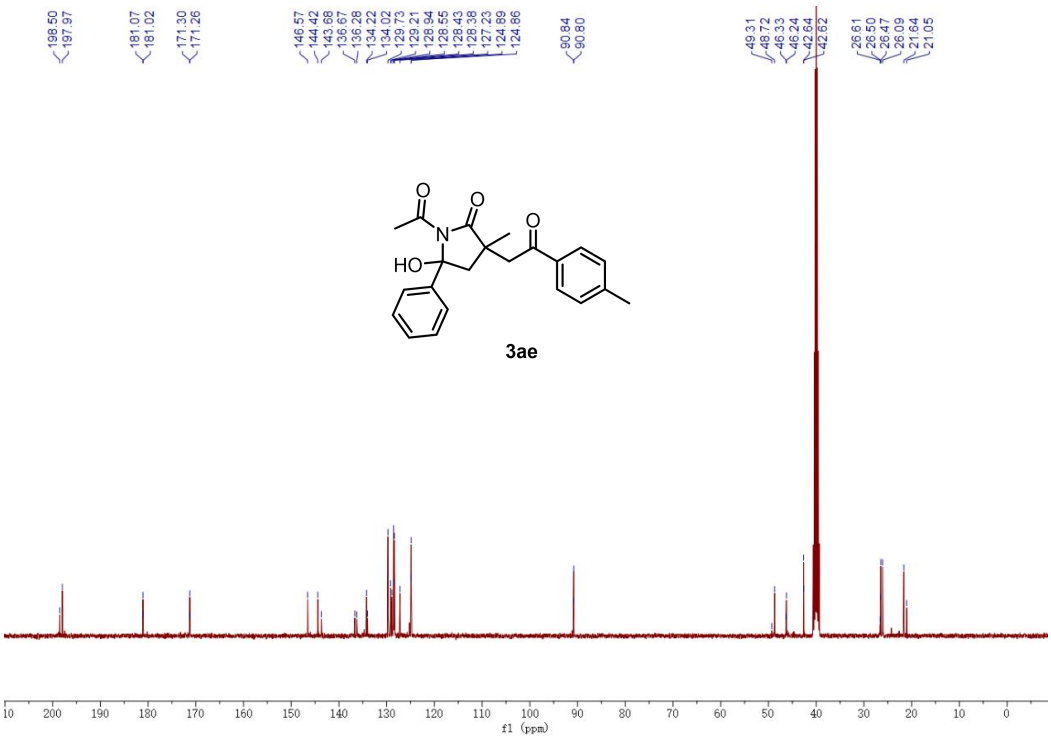
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ad



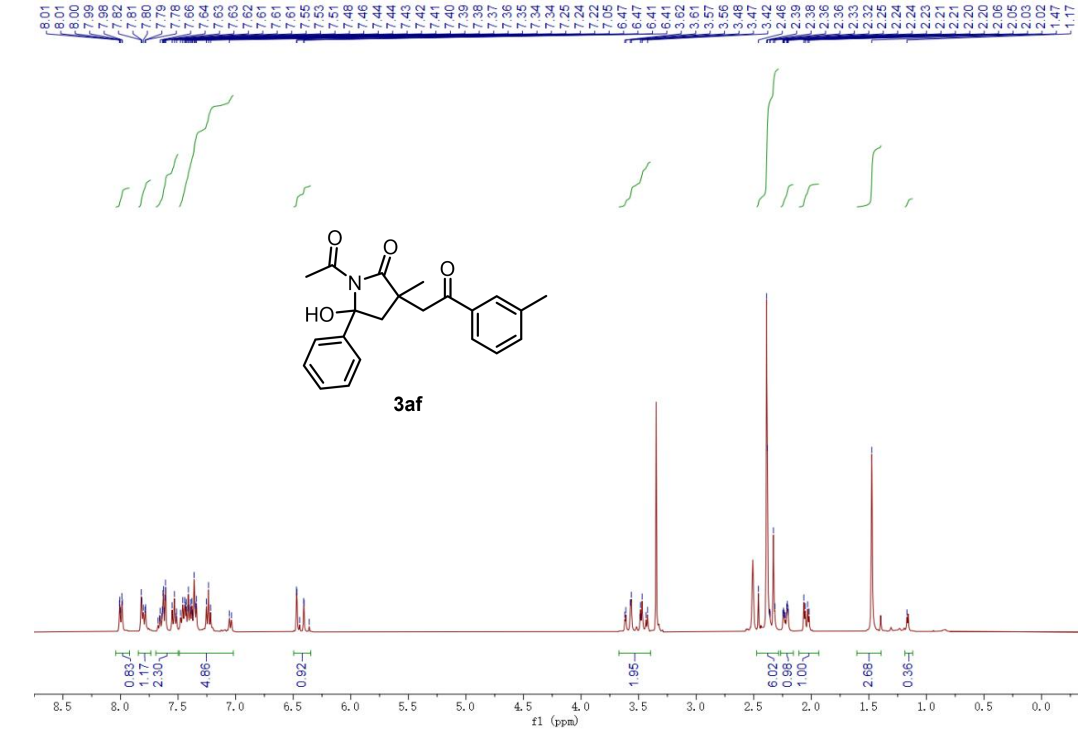
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ad



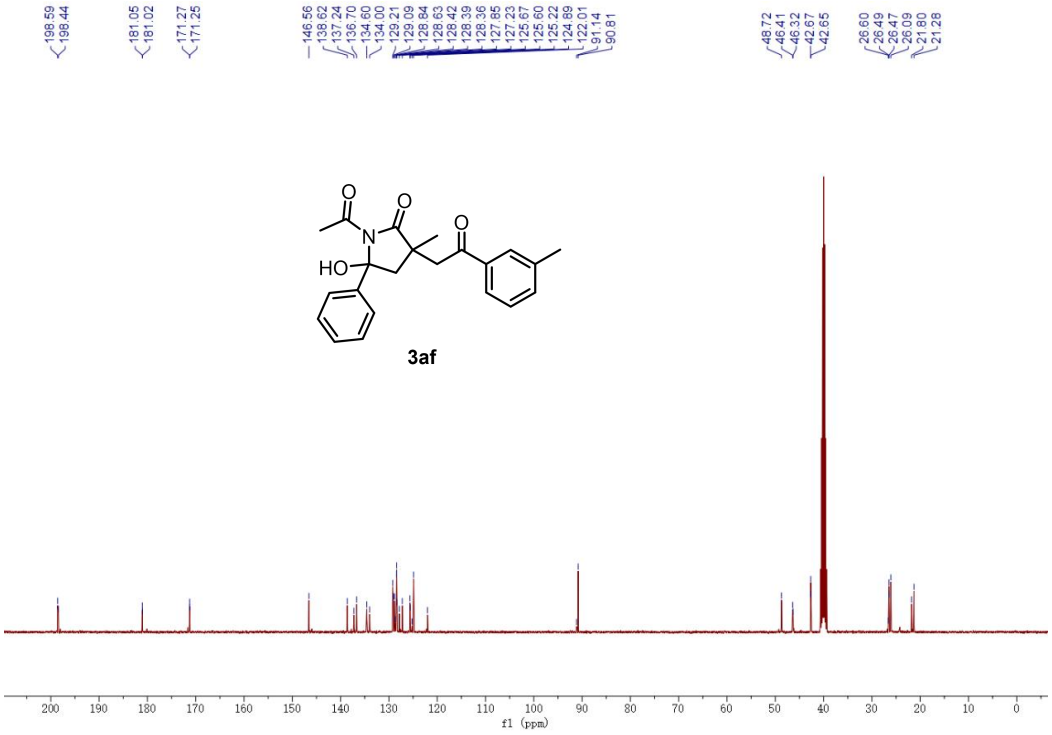
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ae



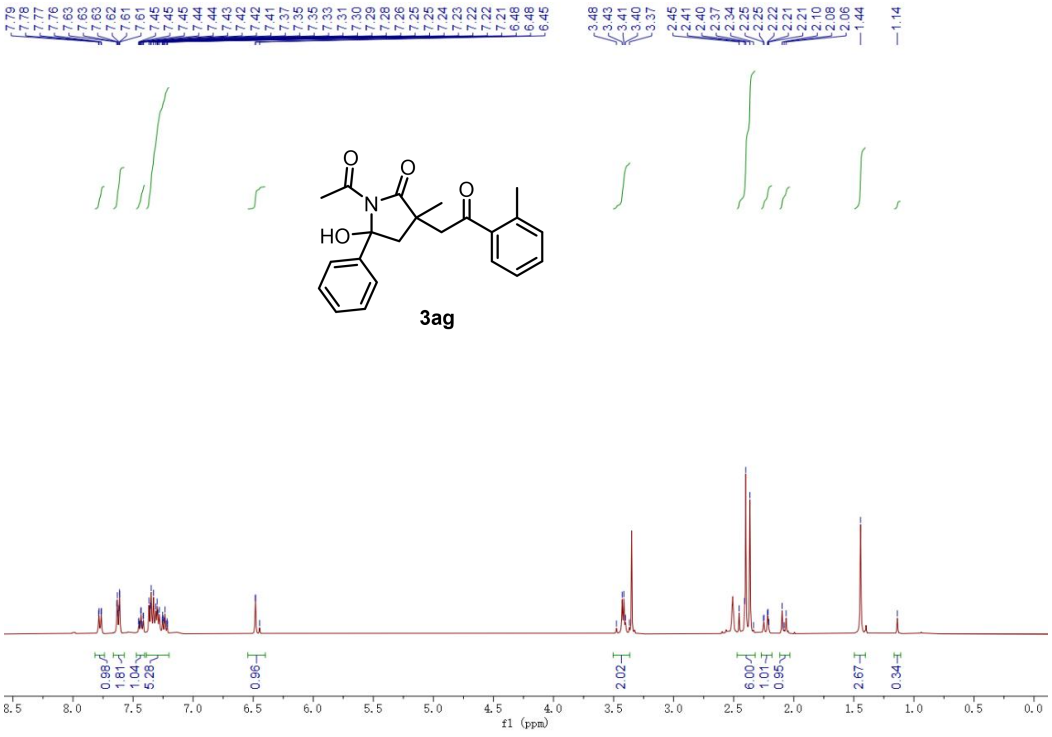
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ae



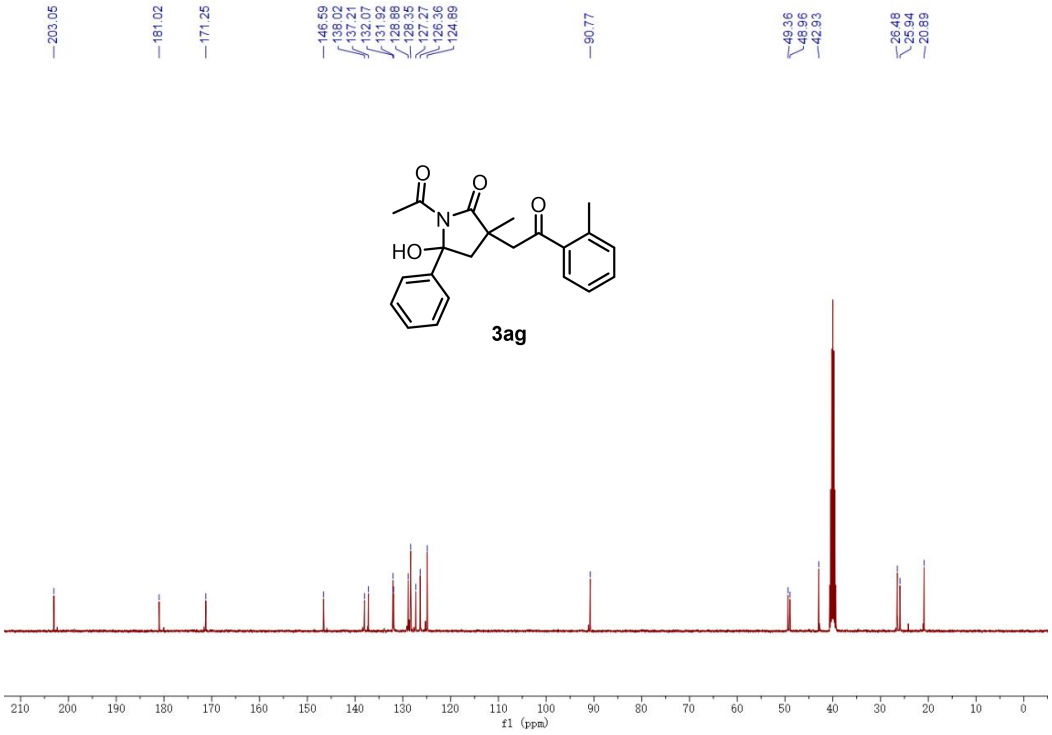
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3af



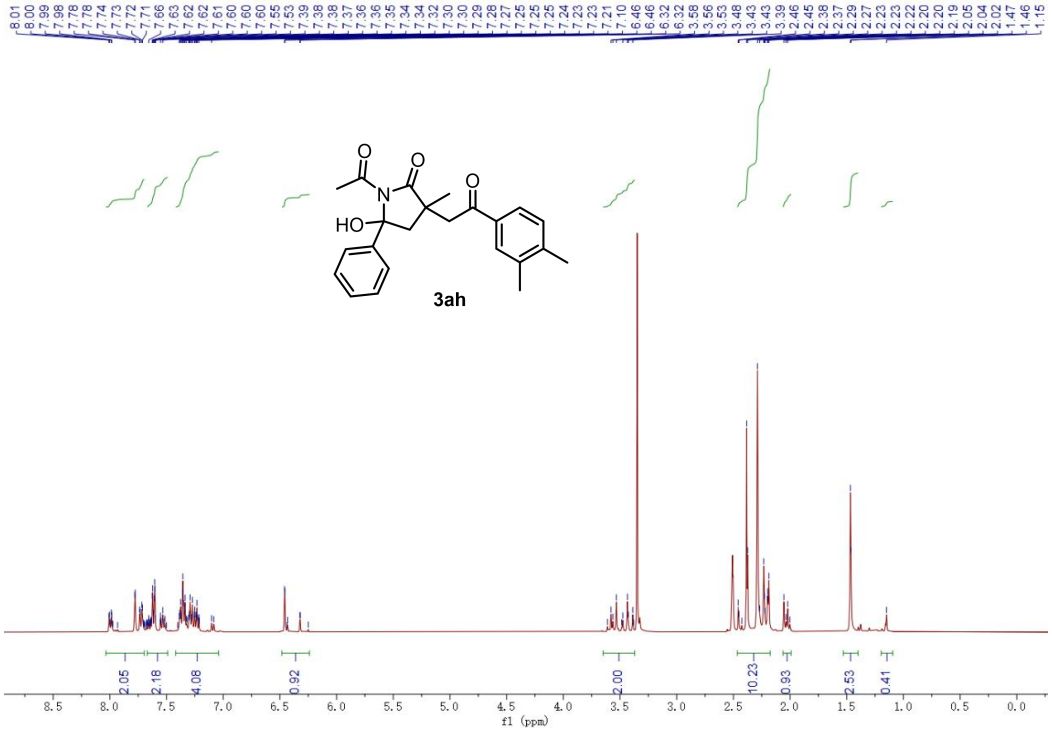
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3af



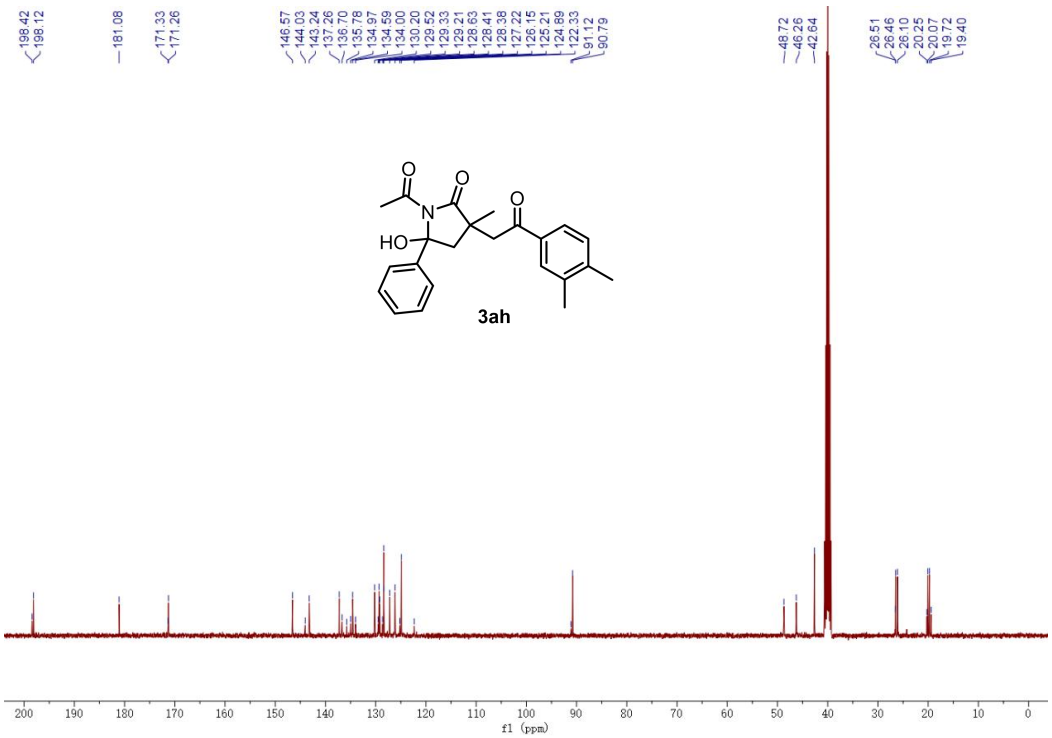
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ag



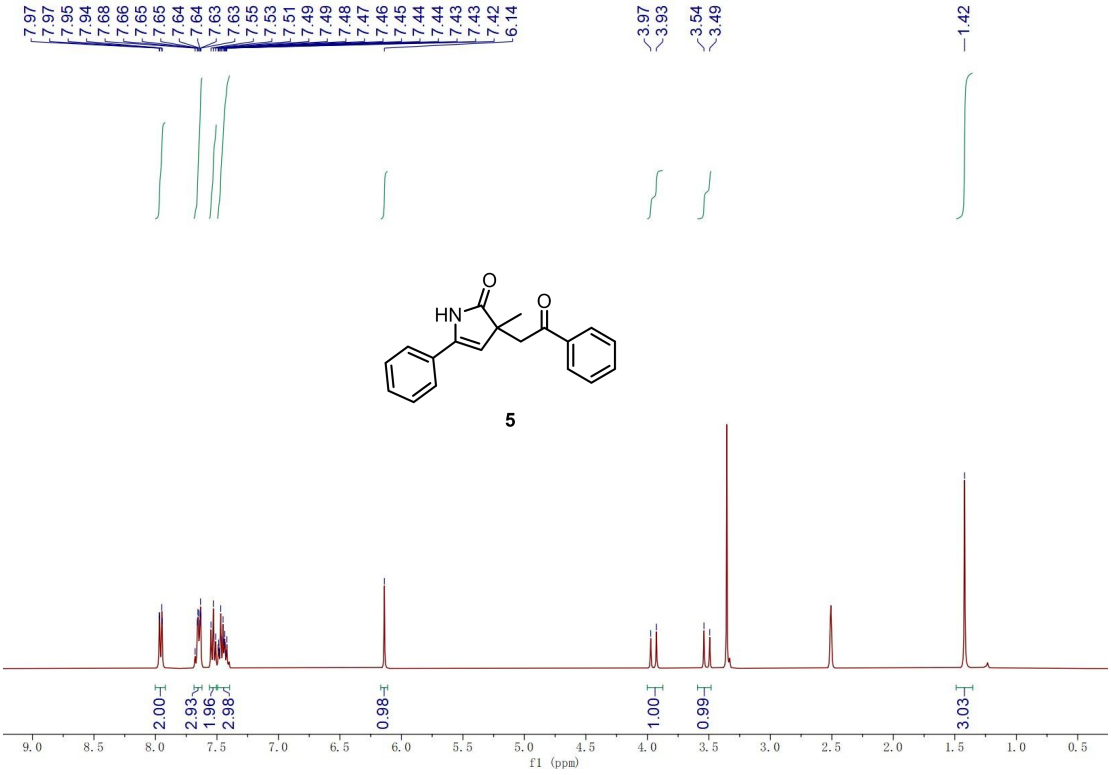
^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ag



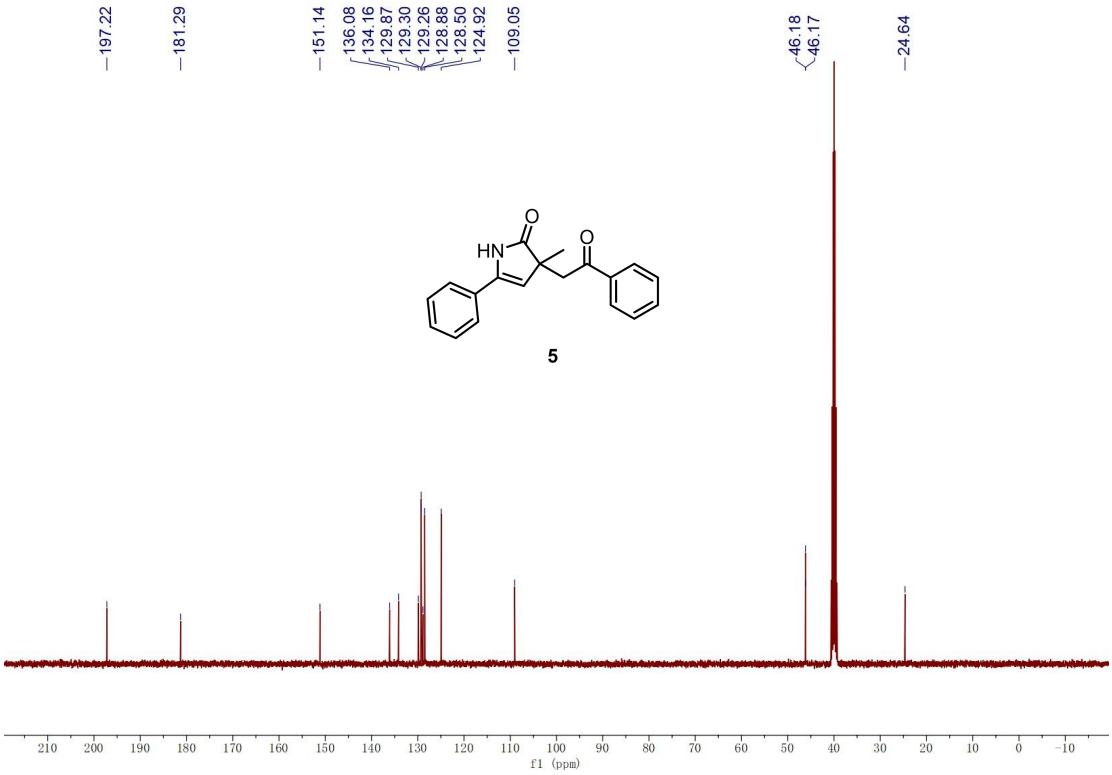
^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 3ah



^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 3ah



^{1}H NMR (400 MHz, DMSO-d_6) spectrum of compound 5



^{13}C NMR (101 MHz, DMSO-d_6) spectrum of compound 5

8. References

[1] P. P. Wang, Y. T. Leng, Y. J. Wu, *Eur. J. Org. Chem*., **2022**, *2022*, e202201091.

[2] G. Chen, C. Li, J. Peng, Z. Yuan, P. Liu, X. Liu, *Org. Biomol. Chem*., **2019**, *17*, 8527-8532.