Supporting Information

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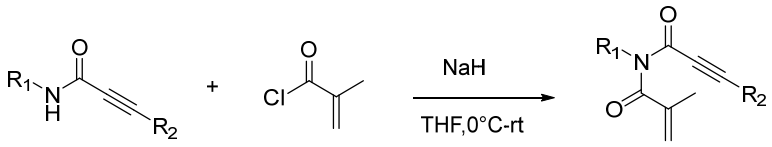
Contents

1. General information

All reagents and solvents were purchased without purification unless specified otherwise. Column chromatography was performed using silica gel (200-300 mesh). ^{1}H NMR and ^{13}C NMR spectra were measured on a 400 MHz and 600 MHz Bruker (400 MHz for ^{1}H NMR, 100 MHz for ^{13}C NMR, 600 MHz ^{1}H NMR, 150 MHz for ^{13}C NMR) using $CDCl_3$ as the solvent at room temperature. Chemical shifts (δ) are given in parts per million relative to the solvent peak, and coupling constants (J) are given in hertz. High-resolution electrospray ionization mass spectra were carried out in the positive ion mode on a Thermo Fisher LC-LTQ-Orbitrap XL spectrometer. Melting points were obtained using an X-5 microscopic melting point apparatus (Beijing Tech, China).

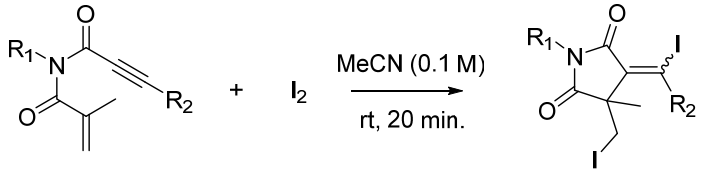
2. General procedure for the synthesis of 1ab-ao,1ba-1bo



1

To a dry bottom flask was added 1.3 equiv. NaH (60% stored in kerosene) at 0^{o}C into amide solution in anhydrous THF (0.5 M). The solution was stirred for 30minutes followed by 1.2 equiv. methacryloyl chloride dropwise slowly. The resulting solution was stirred at room temperature for subsequent 30minutes. After completing the reaction, the reaction mixture was quenched by adding water, then extracted with EtOAc. the organic layers were combined and concentrated by rotary evaporation. The crude product was purified by silica gel column chromatography (PE: EtOAc= 30: 1- 20: 1)

3. General procedure for the synthesis of 3ab-3cc

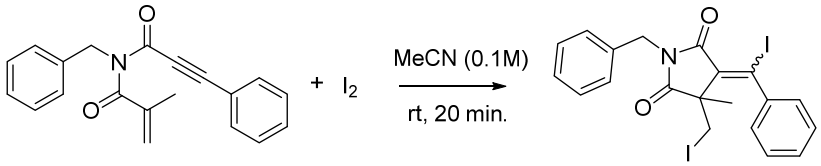


1 3

To a bottom flask was added 1.05equiv iodine into acetonitrile solution of compound **1aa-1cc** (0.1 M), then stirred for 20 minutes under air and room temperature. After the reaction was completed, the reaction was quenched by $Na_2S_2O_3$ aqueous solution and extracted with EtOAc. Combined organic layer was dried with anhydrous Na_2SO_4 and then concentrated by rotary evaporation to give the crude product. The crude product was purified by silica gel column chromatography (PE: EtOAc = 25: 1- 15: 1) to afford the product.

4. Scale-up, transformation applications and the functional group compatibility experiments

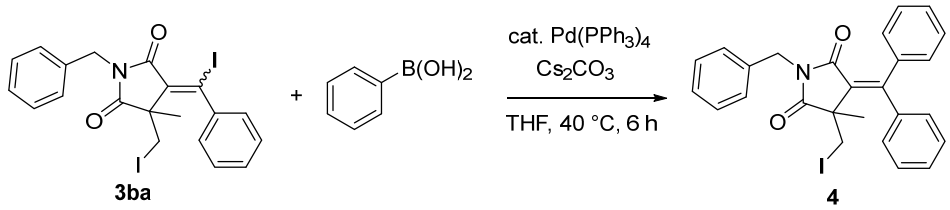
1) Scale-up experiment



1ba **3ba**

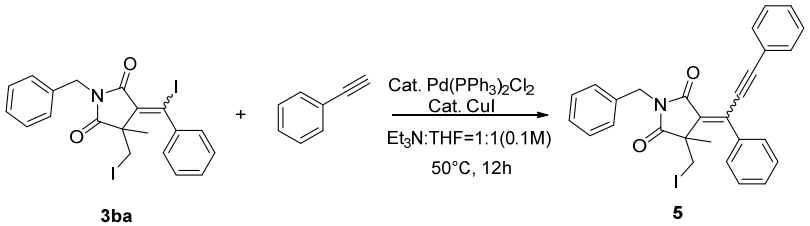
To a 100ml bottom flask was added iodine (878.5 mg, 3.461 mmol) into the solution of **1ba** (1000 mg, 3.296 mmol) in acetonitrile (33 mL). Then the mixture was stirred for 20minutes under open air, room temperature. After the reaction was completed, sodium thiosulfate aqueous solution was added to quench the reaction and extracted with EtOAc. Combined organic layer was dried with anhydrous Na_2SO_4 and then concentrated by rotary evaporation to give the crude product. The crude product was purified by silica gel column chromatography (PE: EtOAc = 25: 1) to afford the product **3ba**.

2) Experiments of transformation applications



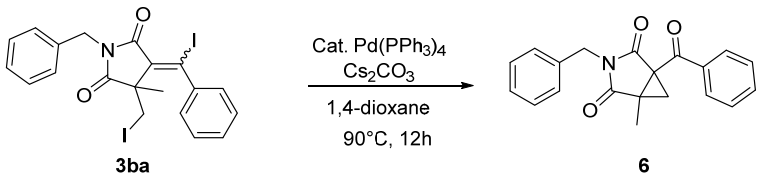
3ba **4**

To a Schlenk tube was added **3ba** (55.7mg, 0.1 mmol), phenylboronic acid (24.39mg, 0.2 mmol), $Pd(PPh_3)_4$ (5.8 mg, 0.005 mmol), Cs_2CO_3 (97.8 mg, 0.3 mmol) and dry THF (2 mL) under the protection with argon. The resulting solution was heated at 40 °C in oil bath for 6 hours. After the reaction was completed, the reaction mixture was cooled to room temperature, and water was added and extracted with EtOAc three times. The combined organic layer was concentrated under reduced pressure. The crude residue was purified by silica gel column chromatography to give pure product **4**[1].



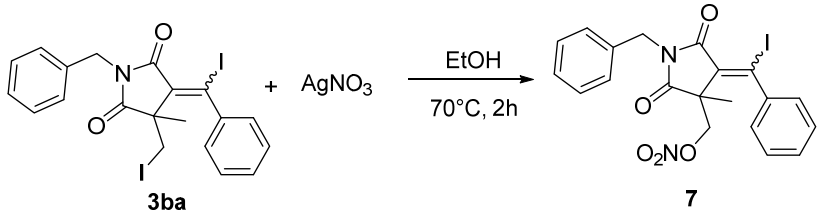
3ba **5**

To a dry 10 mL Schlenk tube was added **3ba** (0.1 mmol, 55.7mg), ethynylbenzene(0.15mmol, 15.32 mg), $Pd(PPh_3)_2Cl_2$ (0.005 mmol, 3.51 mg) and CuI (0.0025 mmol, 0.48 mg) under Argon, followed by the solution of THF: TEA(1: 1, 1 mL) injected. The resulting solution was heated in 50 °C oil bath for 12 h. After the reaction was completed, the reaction mixture was cooled to room temperature, washed with a saturated solution of NH_4Cl, and extracted with ethyl acetate three times. The combined organic layers were dried and concentrated under reduced pressure. The residue was purified by column chromatography to give product **5**[2]



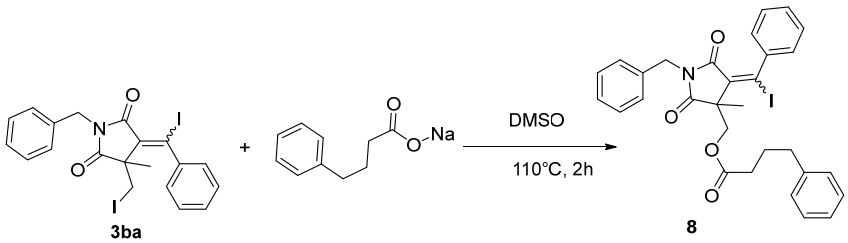
3ba **6**

To a schlenk tube was added **3ba** (0.1 mmol, 55.7mg), $Pd(PPh_3)_4$ (0.02 mmol, 23.11mg), Cs_2CO_3 (0.2 mmol, 65.16 mg) and 1,4-dioxane put into the tube. Then, the resulting mixture was put into 90 °C oil bath for 12h. After the reaction was completed (by TLC), the reaction mixture was cooled to room temperature and washed with H_2O (10 mL) and extracted with EtOAc. The organic layer was combined and the crude product was purified by column chromatography to give product **6**.



3ba **7**

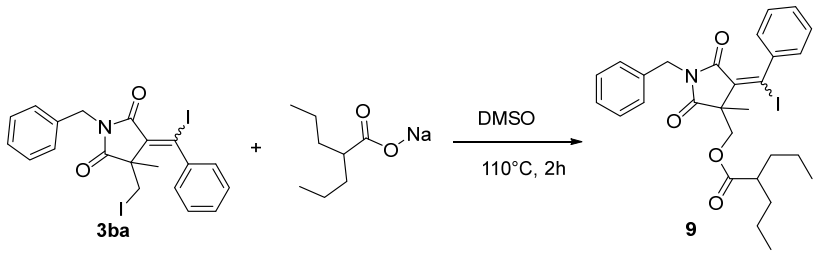
To a dry schlenk tube was added **3ba** (0.1 mmol, 55.7mg) and $AgNO_3$ (0.2 mmol,33.97mg), EtOH injected into and the mixture heated at 70 °C in oil bath. After the reaction was completed (by TLC), the reaction mixture was cooled to room temperature and washed with brine and extracted with EtOAc. The organic layer was combined and the crude product was purified by column chromatography to give product **7**[3].



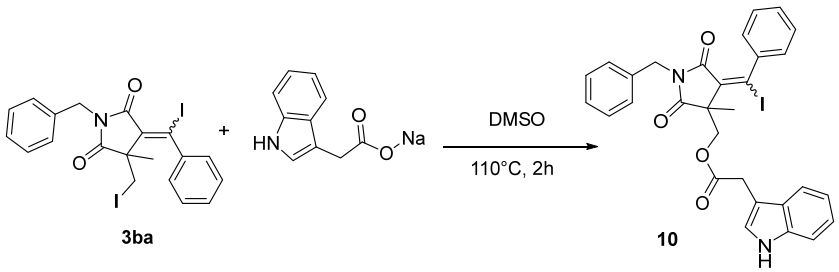
3ba **8**

To a dry schlenk was added **3ba** (0.1 mmol, 55.7mg) and sodium 4-phenylbutanoate (0.15 mmol, 27.93mg). The reaction mixture in dimethyl sulfoxide was heated to 110 °C for 2 h. After the reaction was completed (by TLC), the reaction mixture was cooled to room temperature and washed with sodium carbonate saturated solution and

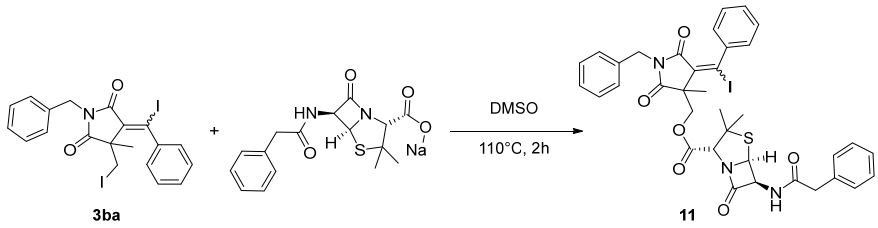
brine and extracted with EtOAc. The organic layer was combined and the crude product was purified by column chromatography to give product **8**[3].



To a dry schlenk was added **3ba** (0.1 mmol, 55.7mg) and sodium 2-propylvalerate (0.15 mmol, 24.93mg). The reaction mixture in dimethyl sulfoxide was heated to 110 °C for 2 h. After the reaction was completed (by TLC), the reaction mixture was cooled to room temperature and washed with sodium carbonate saturated solution and brine and extracted with EtOAc. The organic layer was combined and the crude product was purified by column chromatography to give product **9**[3].



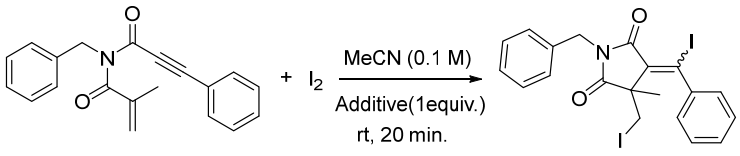
To a dry schlenk was added **3ba** (0.1 mmol, 55.7mg) and 3-Indole-acetic acid sodium salt (0.15 mmol, 29.58mg). The reaction mixture in dimethyl sulfoxide was heated to 110 °C for 2 h. After the reaction was completed (by TLC), the reaction mixture was cooled to room temperature and washed with sodium carbonate saturated solution and brine and extracted with EtOAc. The organic layer was combined and the crude product was purified by column chromatography to give product **10**[3].



To a dry schlenk was added **3ba** (0.1 mmol, 55.7mg) and Penicillin G sodium salt (0.15 mmol, 53.46mg). The reaction mixture in dimethyl sulfoxide was heated to 110 °C for 2 h. After the reaction was completed (by TLC), the reaction mixture was cooled to room temperature and washed with sodium carbonate saturated solution and brine and extracted with EtOAc. The organic layer was combined, and the crude product was purified by column

chromatography to give product **11**[3].

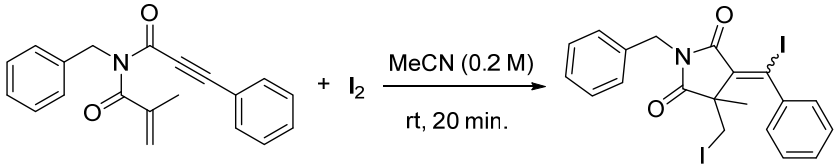
3） The functional group compatibility experiments



1ba **3ba**

To a bottom flask was added 1.0 equiv. additive, 1.05 equiv iodine into acetonitrile solution of compound **1ba** (0.1 M) and then stirred for 20 minutes under air and room temperature. After the reaction was completed, the reaction mixture was quenched by $Na_2S_2O_3$ aqueous solution and extracted with EtOAc. Combined organic layer was dried with anhydrous Na_2SO_4 and then concentrated by rotary evaporation to give the crude product. The crude product was purified by silica gel column chromatography to afford the product and additive.

5. Experiments procedure for green merits

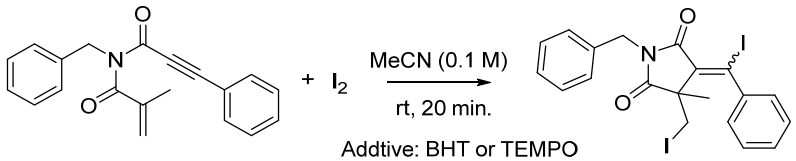


1ba **3ba**

2.00 g 3.12 g, 84.8%

To a 100ml bottom flask was added iodine (1.76 g, 6.92 mmol) into the solution of **1ba** (2.00 g, 6.59 mmol) in acetonitrile (33 mL, 25.94 g). Then the mixture was stirred for 20 minutes under open air, room temperature. After the reaction was completed, sodium thiosulfate aqueous solution(0.08 g $Na_2S_2O_3$/0.08 g H_2O) was added to quench the reaction and concentrated by rotary evaporation to give the crude product. The crude product was purified by silica gel column chromatography (40.00 g Silica gel, PE: EtOAc (v/v) = 10: 1(240 mL/24 mL), 210.00 g) to afford the product **3ba**.

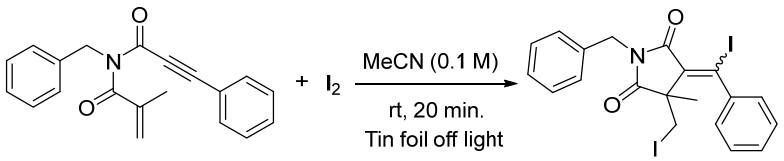
6. Control experiments



1ba **3ba**

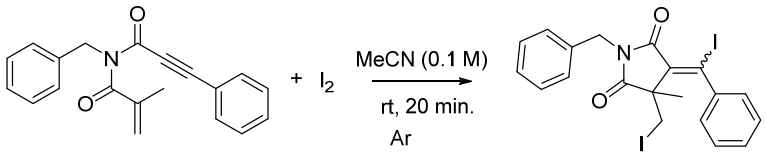
To a bottom flask was added **1ba** (0.1 mmol), additive (0.2 mmol) and iodine (0.105 mmol) sequentially, acetonitrile was added then the reaction mixture stirred at room temperature for 20 minutes. The reaction was monitored by

TLC.



1ba **3ba**

To a tin foil wrapped schlenk were added **1ba** (0.1 mmol) and iodine (0.105 mmol), then acetonitrile was injected by syringe. The mixture was stirred for 20 minutes off light in dark room. After that, the reaction was monitored by TLC. The reaction mixture was quenched by $Na_2S_2O_3$ aqueous solution. The crude product was purified by silica gel column chromatography to afford the product.



1ba **3ba**

To a schlenk 1 was added acetonitrile (5 mL) and oxygen-removal was carried out by argon bubbling into solvent for 30 minutes, then **1ba** (0.1 mmol) and iodine (0.105 mmol) was added to schlenk 2 with argon atmosphere. Subsequently, oxygen-free acetonitrile (1 mL) was transfered to schlenk 2 from schlenk 1 with cannula. The reaction was stirred for 20 minutes under argon. After that, the reaction was monitored by TLC. The reaction mixture was quenched by $Na_2S_2O_3$ aqueous solution. The crude product was purified by silica gel column chromatography to afford the product.

7.Reference

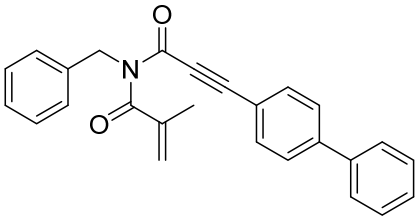
[1] Y. Gu, L. Dai, K. M. Mao, J. H. Zhang, C. Wang, L. M. Zhao and L. C. Rong.Org. Lett. **2020**, 22, 2956−2960.

[2] (a)W. Z. Weng, H. Liang, R. Z. Liu, Y. X. Ji, and B. Zhang. Org. Lett. ,**2019**, 21, 5586−5590 (b) M. Dong, D. F. Wang and X. F. Tong. Org. Lett., **2021**, 23, 3588−3592

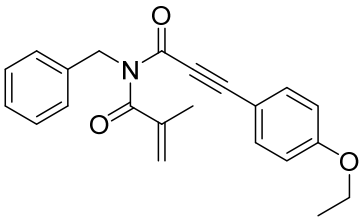
[3] X. H. Wei, X. W. Liang, Y. Z. Li, Q. Liu, X. Y. Liu, Y. Zhou and H. Liu. Green Chem., **2021**,23, 9165-9171

8. NMR spectrum data and X-Ray structure

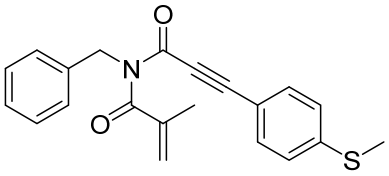
1) Characterization data of starting material



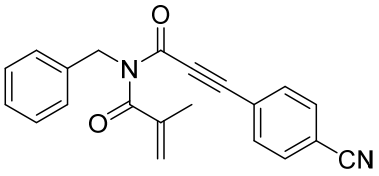
Compound 1aa: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.63 – 7.54 (m, 6H), 7.46 (dd, *J* = 8.4, 6.9 Hz, 2H), 7.43 – 7.37 (m, 3H), 7.36 – 7.31 (m, 2H), 7.31 – 7.27 (m, 1H), 5.46 (q, *J* = 1.7 Hz, 1H), 5.41 – 5.38 (m, 1H), 5.08 (s, 2H), 2.10 (d, *J* = 1.2 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 155.0, 143.6, 142.4, 139.7, 136.7, 133.0, 129.0, 128.6, 128.5, 128.2, 127.7, 127.4, 127.1, 122.3, 118.4, 94.5, 83.6, 48.1, 18.9. **HRMS** m/z (ESI^+) calcd for $C_{26}H_{21}NNaO_2[M+Na]^+$: 402.1465; found: 402.1465.



Compound 1ab: Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.26 (m, 4H), 7.20 – 7.14 (m, 2H), 7.11 (tt, *J* = 7.0, 1.5 Hz, 1H), 6.75 – 6.68 (m, 2H), 5.27 (q, *J* = 1.6 Hz, 1H), 5.22 (d, *J* = 1.1 Hz, 1H), 4.91 (s, 2H), 3.90 (q, *J* = 7.0 Hz, 2H), 1.93 (t, *J* = 1.3 Hz, 3H), 1.27 (t, *J* = 7.0 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.8, 161.6, 155.8, 142.9, 137.3, 135.0, 129.1, 128.9, 128.2, 122.4, 115.4, 111.7, 96.0, 83.2, 64.3, 48.6, 19.5, 15.2. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}NNaO_3[M+Na]^+$: 370.1414; found: 370.1410.

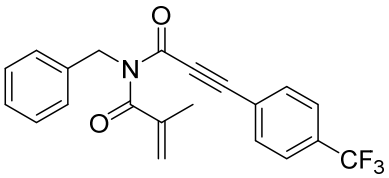


Compound 1ac: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.39 (td, *J* = 7.0, 1.8 Hz, 4H), 7.34 – 7.30 (m, 2H), 7.29 – 7.26 (m, 1H), 7.21 – 7.18 (m, 2H), 5.43 (q, *J* = 1.6 Hz, 1H), 5.37 (d, *J* = 1.1 Hz, 1H), 5.06 (s, 2H), 2.49 (s, 3H), 2.07 (t, *J* = 1.2 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 155.0, 143.5, 142.4, 136.7, 132.7, 128.6, 128.5, 127.7, 125.5, 122.2, 115.3, 94.7, 83.3, 48.1, 18.9, 14.9. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{19}NNaO_2S[M+Na]^+$: 372.1029 ; found: 372.1021.

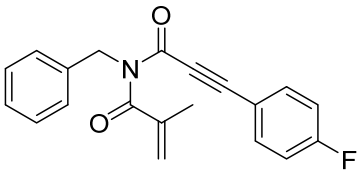


Compound 1ad: Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.69 – 7.65 (m, 2H), 7.58 – 7.54 (m, 2H), 7.39 – 7.35 (m,

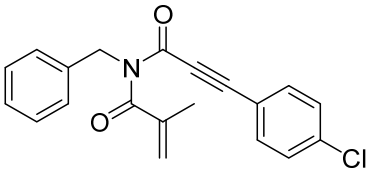
2H), 7.35 – 7.31 (m, 2H), 7.31 – 7.27 (m, 1H), 5.49 (q, *J* = 1.6 Hz, 1H), 5.41 – 5.38 (m, 1H), 5.05 (s, 2H), 2.04 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.8, 154.1, 142.2, 136.3, 132.7, 132.3, 128.7, 128.5, 127.9, 124.5, 123.1, 117.8, 114.1, 91.4, 85.7, 48.2, 18.8. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{16}N_2NaO_2[M+Na]^+$: 351.1104; found: 351.1111.



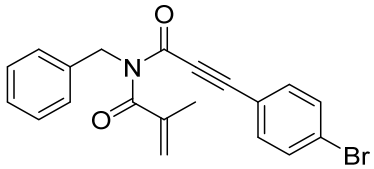
Compound 1ae: Colorless oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.64 (d, *J* = 8.3 Hz, 2H), 7.59 (d, *J* = 8.2 Hz, 2H), 7.41 – 7.37 (m, 2H), 7.36 – 7.31 (m, 2H), 7.31 – 7.27 (m, 1H), 5.48 (q, *J* = 1.6 Hz, 1H), 5.40 (d, *J* = 1.1 Hz, 1H), 5.06 (s, 2H), 2.06 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.0, 154.4, 142.3, 136.4, 132.6, 132.4, 132.4, 132.2, 132.0, 128.7, 128.5, 127.9, 125.7, 125.7, 125.7, 125.6, 124.4, 123.5, 122.8, 122.6, 92.1, 84.4, 48.1, 18.8. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{16}F_3NNaO_2[M+Na]^+$: 394.1025; found: 394.1037.



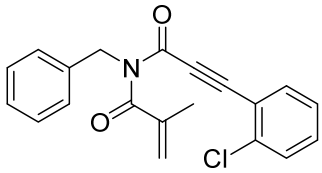
Compound 1af: Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.52 – 7.45 (m, 2H), 7.41 – 7.37 (m, 2H), 7.36-7.30 (m, 2H), 7.30-7.27(m,1H), 7.12 – 7.04 (m, 2H), 5.46 (q, *J* = 1.6 Hz, 1H), 5.38 (d, *J* = 1.1 Hz, 1H), 5.05 (s, 2H), 2.06 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.3, 165.4, 162.9, 155.0, 142.5, 136.8, 135.0, 134.9, 128.8, 128.6, 128.0, 122.6, 116.6, 116.4, 116.0, 116.0, 93.5, 83.1, 48.3, 19.1. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}FNNaO_2[M+Na]^+$: 344.1057; found: 344.1056.



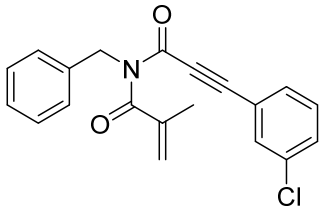
Compound 1ag: Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.44 – 7.27 (m, 9H), 5.46 (q, *J* = 1.6 Hz, 1H), 5.38 (d, *J* = 1.1 Hz, 1H), 5.05 (s, 2H), 2.06 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.2, 154.9, 142.5, 137.4, 136.7, 133.8, 129.4, 128.8, 128.6, 128.0, 122.7, 118.3, 93.2, 83.9, 48.3, 19.1. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClNNaO_2[M+Na]^+$: 360.0762; found: 360.0767.



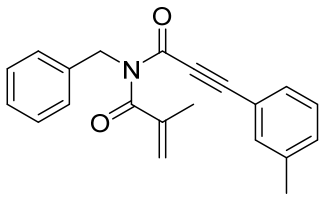
Compound 1ah: Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.55 – 7.50 (m, 2H), 7.40 – 7.36 (m, 2H), 7.36 – 7.27 (m, 5H), 5.45 (q, *J* = 1.6 Hz, 1H), 5.38 (d, *J* = 1.2 Hz, 1H), 5.05 (s, 2H), 2.05 (dd, *J* = 1.6, 1.0 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.2, 154.9, 142.5, 136.7, 133.9, 132.3, 128.8, 128.6, 128.0, 125.8, 122.7, 118.8, 93.3, 84.0, 48.3, 19.1. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}BrNNaO_2[M+Na]^+$: 404.0257; found: 404.0248.



Compound 1ai: Yellowish oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.50 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.43 – 7.35 (m, 4H), 7.35 – 7.22 (m, 4H), 5.43 (q, *J* = 1.6 Hz, 1H), 5.37 (s, 1H), 5.08 (s, 2H), 2.02 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.5, 155.2, 142.8, 137.4, 137.1, 134.8, 132.2, 130.2, 129.1, 129.0, 128.3, 127.3, 123.1, 120.6, 91.2, 87.4, 48.9, 19.3. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClNNaO_2[M+Na]^+$: 360.0762; found: 360.0750.

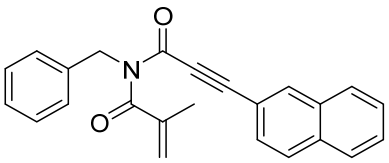


Compound 1aj: Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.40 – 7.18 (m, 9H), 5.40 (q, *J* = 1.6 Hz, 1H), 5.32 (d, *J* = 1.1 Hz, 1H), 4.98 (s, 2H), 1.99 (t, *J* = 1.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.1, 154.7, 142.5, 136.6, 134.8, 132.2, 131.2, 130.6, 130.2, 128.8, 128.8, 128.6, 128.0, 122.8, 121.5, 92.6, 83.7, 48.3, 19.0. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClNNaO_2[M+Na]^+$: 360.0762; found: 360.0765.

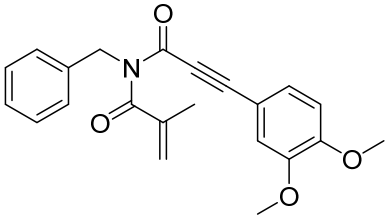


Compound 1ak: Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.41 – 7.38 (m, 2H), 7.35 – 7.30 (m, 2H), 7.30 – 7.24 (m, 5H), 5.44 (q, *J* = 1.6 Hz, 1H), 5.37 (d, *J* = 1.1 Hz, 1H), 5.06 (s, 2H), 2.34 (s, 3H), 2.07 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 155.0, 142.4, 138.6, 136.7, 132.9, 131.7, 129.6, 128.6, 128.5, 127.7, 122.2, 119.5, 94.8, 82.8,

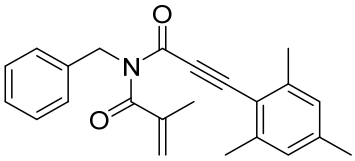
48.1, 21.2, 18.9. **HRMS** m/z (ESI$^+$) calcd for $C_{21}H_{19}NNaO_2$[M+Na]$^+$: 340.1308; found: 340.1312.



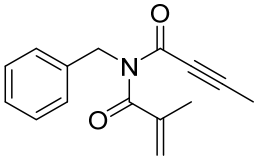
Compound 1al: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.04 (s, 1H), 7.83 (t, *J* = 8.7 Hz, 3H), 7.60 – 7.53 (m, 3H), 7.47 (dd, *J* = 8.4, 1.5 Hz, 1H), 7.42 (d, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.5 Hz, 2H), 7.29 (d, *J* = 7.3 Hz, 1H), 5.48 (d, *J* = 1.9 Hz, 1H), 5.42 (s, 1H), 5.10 (s, 2H), 2.11 (s, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ173.2, 154.0, 141.4, 135.7, 132.8, 132.7, 131.6, 127.6, 127.5, 127.5, 127.2, 127.1, 126.9, 126.7, 126.7, 126.1, 121.3, 115.8, 93.9, 82.2, 47.1, 17.9. **HRMS** m/z (ESI$^+$) calcd for $C_{24}H_{19}NNaO_2$ [M+Na]$^+$: 376.1308; found: 376.1301.



Compound 1am: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.42 – 7.37 (m, 2H), 7.35 – 7.30 (m, 2H), 7.29 – 7.25 (m, 1H), 7.12 (dd, *J* = 8.3, 1.9 Hz, 1H), 6.96 (d, *J* = 1.9 Hz, 1H), 6.84 (d, *J* = 8.4 Hz, 1H), 5.44 (q, *J* = 1.6 Hz, 1H), 5.39 (d, *J* = 1.2 Hz, 1H), 5.07 (s, 2H), 3.91 (s, 3H), 3.86 (s, 3H), 2.09 (t, *J* = 1.3 Hz, 1H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 155.2, 151.7, 148.9, 142.4, 136.8, 128.6, 128.4, 127.7, 126.7, 122.0, 114.9, 111.5, 111.1, 95.4, 82.4, 56.0, 48.1, 18.9. **HRMS** m/z (ESI$^+$) calcd for $C_{22}H_{21}NNaO_4$[M+Na]$^+$: 386.1363; found: 386.1372.

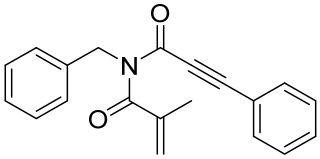


Compound 1an: White solid,67.9-68.5^{O}C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.40 – 7.36 (m, 2H), 7.32 (dd, *J* = 8.4, 6.7 Hz, 2H), 7.28 – 7.23 (m, 1H), 6.87 (s, 2H), 5.39 (t, *J* = 1.5 Hz, 2H), 5.11 (s, 2H), 2.35 (s, 6H), 2.28 (s, 3H), 2.00 (t, *J* = 1.2 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.1, 155.4, 142.3, 142.1, 140.8, 136.7, 128.6, 128.1, 128.0, 127.7, 121.6, 116.5, 93.4, 90.2, 48.5, 21.5, 20.8, 18.8. **HRMS** m/z (ESI$^+$) calcd for $C_{23}H_{23}NNaO_2$[M+Na]$^+$: 368.1621; found: 368.1627.

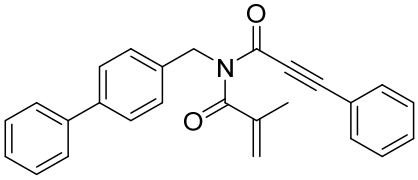


Compound 1ao: Colorless oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.16-7.28 (m, 5H), 5.30 (d, *J* = 1.6 Hz, 1H), 5.20 (s, 1H),

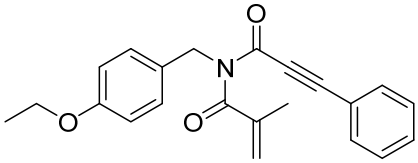
4.91 (s, 2H), 1.93 (d, *J* = 2.1 Hz, 6H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.6, 155.1, 142.7, 136.9, 128.7, 128.6, 127.9, 121.6, 94.0, 75.3, 48.2, 18.9, 4.4. **HRMS** m/z (ESI^+) calcd for $C_{15}H_{15}NNaO_2[M+Na]^+$: 264.0995; found: 264.0995.



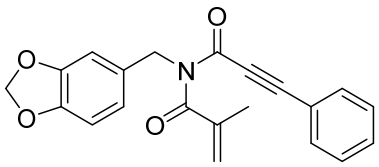
Compound 1ba: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.50 – 7.46 (m, 2H), 7.46 – 7.42 (m, 1H), 7.38 (m, 4H), 7.34 – 7.30 (m, 2H), 7.29 – 7.25 (m, 1H), 5.44 (q, *J* = 1.6 Hz, 1H), 5.38 (d, *J* = 1.3 Hz, 1H), 5.06 (s, 2H), 2.07 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 155.0, 142.4, 136.7, 132.5, 130.8, 128.7, 128.6, 128.5, 127.8, 122.3, 119.7, 94.4, 83.0, 48.1, 18.9. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{17}NNaO_2[M+Na]^+$: 326.1151; found: 326.1142.



Compound 1bb: Colorless oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.60 – 7.55 (m, 4H), 7.53 – 7.43 (m, 6H), 7.42 – 7.32 (m, 4H), 5.49 (q, *J* = 1.6 Hz, 1H), 5.44 (d, *J* = 1.1 Hz, 1H), 5.11 (s, 2H), 2.11 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.4, 155.2, 142.6, 140.9, 140.8, 135.9, 132.6, 131.0, 129.1, 128.9, 128.9, 127.5, 127.5, 127.3, 122.6, 119.9, 94.7, 83.2, 48.0, 19.1. **HRMS** m/z (ESI^+) calcd for $C_{26}H_{21}NNaO_2[M+Na]^+$: 402.1465; found: 402.1471.

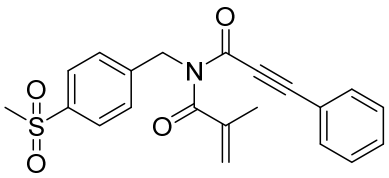


Compound 1bc: Colorless oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.52 – 7.47 (m, 2H), 7.47 – 7.43 (m, 1H), 7.41 – 7.31 (m, 4H), 6.86 – 6.81 (m, 2H), 5.43 (q, *J* = 1.6 Hz, 1H), 5.34 (d, *J* = 1.2 Hz, 1H), 4.99 (s, 2H), 4.00 (q, *J* = 7.0 Hz, 2H), 2.11 – 2.04 (m, 3H), 1.39 (t, *J* = 7.0 Hz, 3H).**^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.5, 158.7, 155.1, 142.7, 132.6, 130.9, 130.3, 128.9, 128.9, 122.5, 119.9,114.6, 94.4, 83.3, 63.6, 47.8, 19.0, 15.0. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}NNaO_3$ $[M+Na]^+$: 370.1414; found:370.1410.

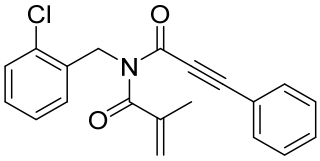


Compound 1bd: Yellow oil.**^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.53 – 7.43 (m, 3H), 7.42 – 7.33 (m, 2H), 6.93 (d, *J* = 1.7 Hz,

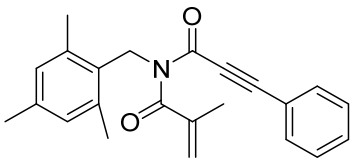
1H), 6.88 (dd, *J* = 8.0, 1.8 Hz, 1H), 6.75 (d, *J* = 8.0 Hz, 1H), 5.94 (s, 2H), 5.46 (q, *J* = 1.6 Hz, 1H), 5.38 (d, *J* = 1.1 Hz, 1H), 4.95 (s, 2H), 2.07 (dd, *J* = 1.5, 1.0 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.7, 155.4, 148.3, 147.7, 143.0, 132.9, 131.3, 130.9, 129.2, 123.0, 122.9, 120.2, 109.8, 108.7, 101.6, 95.0, 83.6, 48.4, 19.4. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{17}NNaO_4[M+Na]^+$:370.1050; found:370.1038.



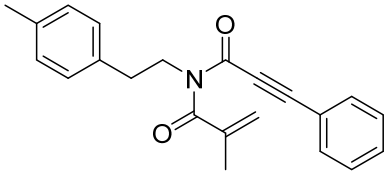
Compound 1be: Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.91 (d, *J* = 8.1 Hz, 2H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.48 (td, *J* = 8.3, 4.0 Hz, 3H), 7.39 (t, *J* = 7.6 Hz, 2H), 5.54 (t, *J* = 1.5 Hz, 1H), 5.45 (s, 1H), 5.11 (s, 2H), 3.04 (s, 3H), 2.12 (d, *J* = 1.4 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.0, 154.8, 142.9, 142.1, 139.9, 132.5, 131.1, 129.4, 128.8, 127.8, 123.0, 119.4, 95.4, 82.7, 47.5, 44.5, 19.0. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{19}NNaO_4S[M+Na]^+$: 404.0927; found: 404.0925.



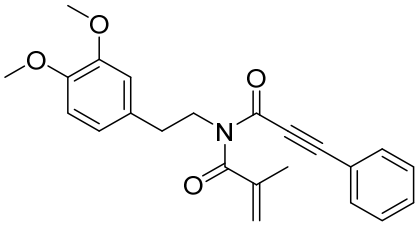
Compound 1bf: Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.50 – 7.47 (m, 2H), 7.47 – 7.43 (m, 1H), 7.37 (ddd, *J* = 7.4, 4.8, 2.9 Hz, 3H), 7.31 (dd, *J* = 7.4, 1.9 Hz, 1H), 7.23 (dtd, *J* = 17.8, 7.7, 1.9 Hz, 2H), 5.58 (d, *J* = 1.1 Hz, 1H), 5.52 (q, *J* = 1.6 Hz, 1H), 5.19 (s, 2H), 2.10 (t, *J* = 1.2 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.0, 154.8, 142.0, 134.0, 133.1, 132.6, 130.9, 129.6, 129.0, 128.8, 128.7, 127.0, 122.6, 119.6, 94.5, 82.6, 46.3, 18.9. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClNNaO_2[M+Na]^+$:360.0762; found:360.0756.



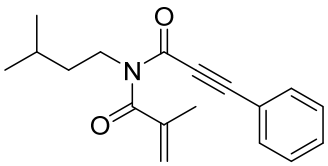
Compound 1bg: Colorless oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.51 – 7.48 (m, 2H), 7.46 – 7.42 (m, 1H), 7.37 (t, *J* = 7.6 Hz, 2H), 6.82 (s, 2H), 5.51 (s, 1H), 5.49 (d, *J* = 1.6 Hz, 1H), 2.41 (s, 5H), 2.23 (s, 3H), 1.92 (d, *J* = 1.3 Hz, 2H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 154.3, 142.7, 137.9, 137.4, 132.4, 130.7, 129.4, 128.9, 128.7, 123.7, 119.9, 94.0, 82.9, 42.9, 20.9, 20.0, 18.6. **HRMS** m/z (ESI^+) calcd for $C_{23}H_{23}NNaO_2[M+Na]^+$: 368.1621; found: 368.1636.



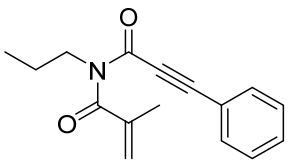
Compound 1bh: Colorless oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.54 – 7.50 (m, 2H), 7.48 – 7.44 (m, 1H), 7.39 (dd, *J* = 8.3, 7.0 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 7.10 (d, *J* = 7.8 Hz, 2H), 5.43 (q, *J* = 1.6 Hz, 1H), 5.36 – 5.34 (m, 1H), 4.12 – 4.07 (m, 2H), 2.99 – 2.91 (m, 2H), 2.31 (s, 3H), 2.06 (t, *J* = 1.2 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 154.9, 142.3, 136.2, 135.0, 132.5, 130.8, 129.3, 128.9, 128.7, 121.9, 119.8, 93.9, 82.9, 46.5, 34.4, 21.0, 19.0. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}NNaO_2[M+Na]^+$:354.1465; found:354.1460.



Compound 1bi: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.52 – 7.49 (m, 2H), 7.49 – 7.44 (m, 1H), 7.39 (t, *J* = 7.6 Hz, 2H), 6.79 (d, *J* = 1.1 Hz, 2H), 6.77 (s, 1H), 5.43 (d, *J* = 1.8 Hz, 1H), 5.32 (s, 1H), 4.14 – 4.07 (m, 2H), 3.85 (s, 3H), 3.83 (s, 3H), 2.97 – 2.91 (m, 2H), 2.06 (s, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 154.9, 149.0, 147.8, 142.3, 132.4, 130.8, 130.6, 128.7, 122.0, 121.0, 119.7, 112.1, 111.3, 93.9, 82.9, 55.9, 55.8, 46.4, 34.4, 19.0. **HRMS** m/z (ESI^+) calcd for $C_{23}H_{23}NNaO_4[M+Na]^+$:400.1519; found:400.1512.

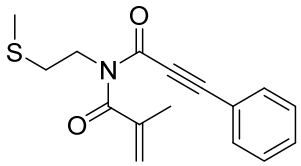


Compound 1bj: Colorless oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.53 – 7.50 (m, 2H), 7.47 – 7.44 (m, 1H), 7.39 (dd, *J* = 8.2, 6.8 Hz, 2H), 5.48 (dt, *J* = 2.7, 1.2 Hz, 2H), 3.92 – 3.86 (m, 2H), 2.09 (t, *J* = 1.2 Hz, 3H), 1.65 (dq, *J* = 13.2, 6.7 Hz, 1H), 1.57 – 1.52 (m, 2H), 0.96 (s, 3H), 0.95 (s, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 155.0, 142.7, 132.4, 130.7, 128.7, 121.7, 119.8, 93.6, 83.0, 43.8, 37.5, 26.3, 22.4, 18.9. **HRMS** m/z (ESI^+) calcd for $C_{18}H_{21}NNaO_2[M+Na]^+$:306.1465; found:306.1465.

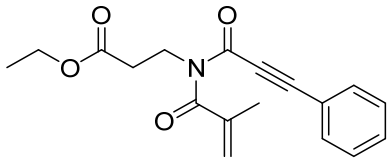


Compound 1bk: Orange oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.54 – 7.50 (m, 2H), 7.48 – 7.44 (m, 1H), 7.41 – 7.37 (m,

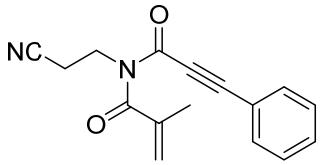
2H), 5.49 (dd, *J* = 3.3, 1.6 Hz, 2H), 3.86 – 3.82 (m, 2H), 2.09 (t, *J* = 1.3 Hz, 3H), 1.74 – 1.66 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 155.1, 142.7, 132.5, 130.7, 128.7, 121.8, 119.8, 93.7, 83.0, 46.8, 22.0, 19.0, 11.4. **HRMS** m/z (ESI^+) calcd for $C_{16}H_{17}NNaO_2[M+Na]^+$: 278.1151; found: 278.1151.



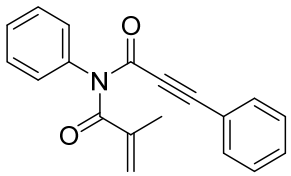
Compound 1bl: Orange oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.52 (dd, *J* = 8.0, 1.3 Hz, 2H), 7.49 – 7.45 (m, 1H), 7.39 (t, *J* = 7.6 Hz, 2H), 5.64 (s, 1H), 5.54 (d, *J* = 1.7 Hz, 1H), 4.09 (t, *J* = 7.0 Hz, 2H), 2.79 (t, *J* = 7.0 Hz, 2H), 2.16 (s, 3H), 2.11 (d, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ174.3, 154.9, 142.1, 132.5, 130.8, 128.7, 122.8, 119.7, 94.3, 82.9, 43.5, 32.7, 19.0, 15.4. **HRMS** m/z (ESI^+) calcd for $C_{16}H_{17}NNaO_2S[M+Na]^+$:310.0872; found:310.0879.



Compound 1bm: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.54 – 7.51 (m, 2H), 7.48 – 7.45 (m, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 5.56 (s, 1H), 5.54 (d, *J* = 1.7 Hz, 1H), 4.20 – 4.11 (m, 4H), 2.70 (t, *J* = 7.1 Hz, 2H), 2.10 (s, 3H), 1.25 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 171.1, 154.7, 142.2, 132.5, 130.9, 128.7, 122.7, 119.7, 94.3, 82.7, 60.8, 41.0, 33.4, 18.9, 14.1. **HRMS** m/z (ESI^+) calcd for $C_{18}H_{19}NNaO_4[M+Na]^+$:336.1206; found:336.1210.



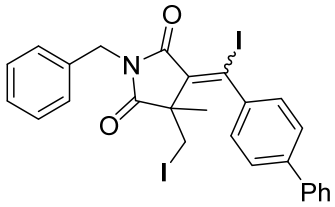
Compound 1bn: Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.55 – 7.51 (m, 2H), 7.51 – 7.47 (m, 1H), 7.41 (dd, *J* = 8.4, 7.0 Hz, 2H), 5.66 (d, *J* = 1.1 Hz, 1H), 5.62 (q, *J* = 1.6 Hz, 1H), 4.17 (t, *J* = 6.9 Hz, 2H), 2.79 (t, *J* = 6.9 Hz, 2H), 2.13 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.9, 154.5, 141.6, 132.5, 131.2, 128.8, 123.8, 119.3, 117.0, 95.7, 82.3, 40.2, 19.0, 17.1. **HRMS** m/z (ESI^+) calcd for $C_{16}H_{14}N_2NaO_2[M+Na]^+$: 289.0947; found: 289.0949.



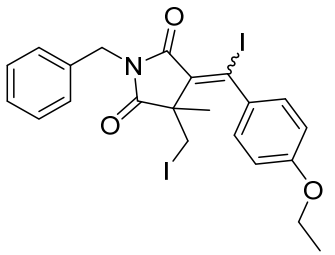
Compound 1bo: White solid, MP: 57.9- 58.2 ^{O}C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.50 – 7.42 (m, 3H), 7.42 – 7.38 (m,

1H), 7.33 – 7.28 (m, 4H), 7.26 (dt, *J* = 6.4, 1.4 Hz, 2H), 5.74 – 5.71 (m, 1H), 5.54 (q, *J* = 1.6 Hz, 1H), 2.07 (t, *J* = 1.3 Hz, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.3, 154.5, 141.5, 138.0, 132.9, 130.8, 129.4, 128.8, 128.7, 128.5, 122.4, 119.5, 94.5, 82.7, 18.8. **HRMS** m/z (ESI$^+$) calcd for $C_{19}H_{15}NNaO_2$ [M+Na]$^+$: 312.0995; found: 312.0991.

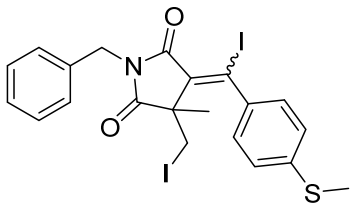
2) Characterization data of products



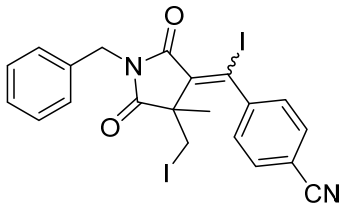
4-([1,1'-biphenyl]-4-yliodomethylene)-1-benzyl-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione(3aa) (2: 1 Z/E mixture) Orange foamy, MP:51.8- 53.5 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.60 – 7.51 (m, 4H), 7.39 (ddt, *J* = 9.4, 7.4, 1.6 Hz, 3.33H), 7.36 – 7.15 (m, 6.67H), 4.75 (s, 1.34H), 4.60 (s, 0.66H), 4.18 (d, *J* = 10.1 Hz, 0.33H), 3.47 (d, *J* = 10.1 Hz, 0.33H), 3.28 (d, *J* = 10.0 Hz, 0.67H), 2.63 (d, *J* = 10.0 Hz, 0.67H), 1.73 (s, 1H), 1.30 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.1, 164.1, 143.8, 142.4, 142.3, 142.1, 140.3, 139.7, 137.1, 136.0, 135.4, 135.4, 129.2, 129.1, 128.9, 128.9, 128.8, 128.7, 128.3, 128.2, 128.1, 127.9, 127.6, 127.4, 127.2, 127.0, 126.9, 116.9, 106.5, 51.5, 51.3, 43.5, 42.8, 23.5, 20.6, 9.8, 7.3. **HRMS** m/z (ESI$^+$) calcd for $C_{26}H_{21}I_2NNaO_2$[M+Na]$^+$:655.9554; found:655.9534.



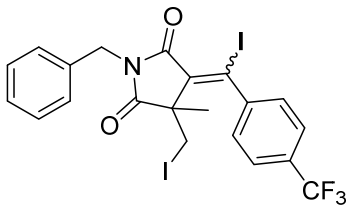
1-benzyl-4-((4-ethoxyphenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ab) (1.63:1 Z/E mixture) Yellow solid, MP:85.5- 86.1 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.49 – 7.43 (m, 1.38H), 7.35 – 7.31 (m, 2H), 7.31 – 7.26 (m, 2.38H), 7.26 – 7.21 (m, 1.24H), 6.93 – 6.83 (m, 2H),4.80 (s, 1.24H), 4.66 (s, 0.76H), 4.24 (d, *J* = 10.0 Hz, 0.38H), 4.06 (qd, *J* = 7.0, 1.2 Hz, 2H), 3.52 (d, *J* = 10.0 Hz, 0.38H), 3.34 (d, *J* = 10.0 Hz, 0.62H), 2.65 (d, *J* = 9.9 Hz, 0.62H), 1.77 (s, 1.14H), 1.43 (td, *J* = 7.0, 5.6 Hz, 3H), 1.33 (s, 1.86H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.9, 176.2, 166.3, 164.2, 159.9, 159.7, 137.0, 136.4, 135.9, 135.9, 135.5, 135.4, 129.2, 129.1, 128.9, 128.8, 128.7, 128.2, 128.0, 127.6, 118.1, 114.3, 114.0, 107.3, 63.9, 63.7, 51.5, 51.3, 43.4, 42.8, 23.5, 20.7, 15.0, 14.9, 9.8, 7.5. **HRMS** m/z (ESI$^+$) calcd for $C_{22}H_{21}I_2NNaO_3$[M+Na]$^+$:623.9503; found:623.9513.



1-benzyl-4-(iodo(4-(methylthio)phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ac) (2.22:1 Z/E mixture) Yellow solid, MP: 107.1- 107.9 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.49 – 7.44 (m, 1.38H), 7.41 – 7.31 (m, 2.31H), 7.30 – 7.17 (m, 4.69H), 7.17 – 6.95 (m, 0.62H), 4.81 (s, 1.38H), 4.66 (s, 0.62H), 4.22 (d, *J* = 10.1 Hz, 0.31H), 3.52 (d, *J* = 10.0 Hz, 0.31H), 3.35 (d, *J* = 10.0 Hz, 0.69H), 2.65 (d, *J* = 10.0 Hz, 0.69H), 2.51 (s, 2.07H), 2.50 (s, 0.93H), 1.77 (s, 0.93H), 1.34 (s, 2.07H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.6, 176.0, 166.0, 164.0, 141.0, 141.0, 140.7, 139.7, 136.8, 135.9, 135.2, 129.0, 128.7, 128.6, 128.5, 128.0, 127.9, 127.5, 125.2, 125.2, 116.6, 106.2, 51.3, 51.1, 43.3, 42.6, 23.3, 20.4, 15.1, 15.1, 9.6, 7.1. **HRMS** m/z (ESI$^+$) calcd for $C_{21}H_{19}I_2NNaO_2S$[M+Na]$^+$: 625.9118; found:625.9127.

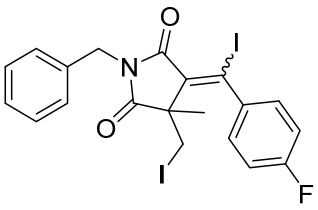


4-((1-benzyl-4-(iodomethyl)-4-methyl-2,5-dioxopyrrolidin-3-ylidene)iodomethyl) benzonitrile (3ad) (1.33:1 Z/E mixture) Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.73 (d, *J* = 7.8 Hz, 1.14H), 7.70 – 7.65 (m, 1H), 7.48 – 7.42 (m, 1.14H), 7.40 – 7.22 (m, 5.72H), 4.81 (s, 1.14H), 4.64 (s, 0.86H), 4.17 (d, *J* = 10.2 Hz, 0.43H), 3.53 (d, *J* = 10.1 Hz, 0.43H), 3.37 (d, *J* = 10.2 Hz, 0.57H), 2.48 (d, *J* = 10.2 Hz, 0.57H), 1.78 (s, 1.29H), 1.32 (s, 1.71H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.4, 175.6, 165.6, 164.0, 149.0, 147.5, 138.7, 136.9, 135.1, 135.0, 132.2, 129.2, 128.9, 128.8, 128.7, 128.3, 128.2, 127.5, 118.4, 117.8, 113.5, 112.8, 112.2, 102.7, 51.4, 51.1, 43.6, 42.9, 23.5, 20.3, 9.0, 6.7. **HRMS** m/z (ESI$^+$) calcd for $C_{21}H_{16}I_2N_2NaO_2$[M+Na]$^+$:604.9193; found:604.9183.

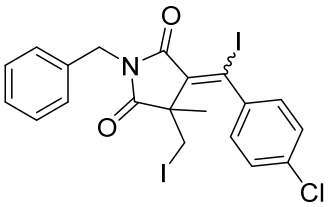


1-benzyl-4-(iodo(4-(trifluoromethyl)phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ae) (1.78:1 Z/E mixture) Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.69 (s, 1.28H), 7.67 – 7.60 (m, 1.28H), 7.49 – 7.44 (m, 1.28H), 7.39 (d, *J* = 8.2 Hz, 0.64H), 7.37 – 7.22 (m, 4.52H), 4.82 (s, 1.28H), 4.65 (s, 0.72H), 4.20 (d, *J* = 10.1 Hz, 0.36H), 3.54 (d, *J* = 10.1 Hz, 0.36H), 3.36 (d, *J* = 10.1 Hz, 0.64H), 2.52 (d, *J* = 10.1 Hz, 0.64H), 1.79 (s, 1.08H), 1.32 (s,

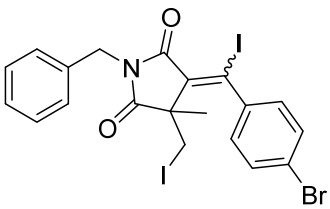
1.92H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.4, 175.7, 165.6, 163.9, 148.0, 146.7, 138.2, 136.5, 135.0, 135.0, 131.7, 131.5, 131.3, 131.1, 131.1, 130.9, 130.7, 130.5, 129.1, 128.7, 128.6, 128.1, 128.0, 127.0, 125.9, 125.8, 125.8, 125.8, 125.3, 125.3, 125.2, 125.2, 124.6, 124.3, 122.8, 122.5, 113.4, 103.6, 51.2, 51.0, 43.4, 42.7, 23.3, 20.2, 9.1, 6.7. **HRMS** m/z (ESI$^+$) calcd for $C_{21}H_{16}F_3I_2NNaO_2$[M+Na]$^+$:647.9115; found:647.9111.



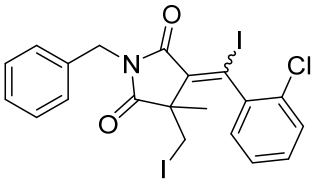
1-benzyl-4-((4-fluorophenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3af) (1.7:1 Z/E mixture) Orange solid, MP:78.5- 79.9 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.49 – 7.36 (m, 1.37H), 7.29 – 7.15 (m, 5.26H), 7.02 (dt, *J* = 17.6, 8.5 Hz, 2.37H), 4.74 (s, 1.26H), 4.59 (s, 0.74H), 4.15 (d, *J* = 10.1 Hz, 0.37H), 3.46 (d, *J* = 10.1 Hz, 0.37H), 3.29 (d, *J* = 10.0 Hz, 0.63H), 2.50 (d, *J* = 10.1 Hz, 0.63H), 1.71 (s, 1.11H), 1.26 (s, 1.89H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.7, 176.0, 166.0, 164.2, 164.1, 164.1, 161.8, 161.6, 140.9, 140.8, 139.7, 139.7, 137.7, 136.5, 135.3, 129.2, 129.1, 129.0, 128.9, 128.8, 128.7, 128.2, 128.1, 115.6, 115.4, 105.2, 51.4, 51.2, 43.5, 42.8, 23.4, 20.5, 9.5, 7.1. **HRMS** m/z (ESI$^+$) calcd for $C_{20}H_{16}FI_2NNaO_2$[M+Na]$^+$:597.9147; found:597.9138.



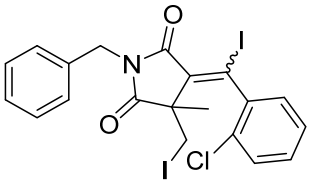
1-benzyl-4-((4-chlorophenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ag) (2:1 Z/E mixture) Yellow foamy, MP: 56.4- 57.1 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.50 – 7.44 (m, 1.34H), 7.40 (d, J = 7.6 Hz, 1.65H), 7.37 – 7.26 (m, 4.34H), 7.23 (dd, J = 8.3, 1.9 Hz, 1H), 7.11 (s, 0.67H), 4.81 (s, 1.34H), 4.65 (s, 0.66H), 4.20 (d, J = 10.1 Hz, 0.33H), 3.52 (d, J = 10.1 Hz, 0.33H), 3.36 (d, J = 10.1 Hz, 0.67H), 2.58 (d, J = 10.1 Hz, 0.67H), 1.77 (s, 1H), 1.33 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.7, 176.0, 166.0, 164.1, 143.2, 142.0, 137.9, 136.5, 135.6, 135.3, 135.3, 135.3, 129.2, 128.9, 128.8, 128.7, 128.6, 128.4, 128.3, 128.1, 114.8, 104.7, 51.4, 51.2, 43.5, 42.9, 23.5, 20.5, 9.4, 7.0. **HRMS** m/z (ESI$^+$) calcd for $C_{20}H_{16}ClI_2NNaO_2$ [M+Na]$^+$: 613.8851; found:613.8865.



1-benzyl-4-((4-bromophenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ah) (2:1 Z/E mixture) Yellow foamy, MP:50.9- 51.5 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.56 (d, *J* = 8.0 Hz, 1.34H), 7.53 – 7.48 (m, 0.67H), 7.48 – 7.43 (m, 1.32H), 7.41 – 7.23 (m, 4.34H), 7.16 (d, *J* = 8.4 Hz, 0.67H), 7.06 (d, *J* = 27.3 Hz, 0.66H), 4.81 (s, 1.34H), 4.65 (s, 0.66H), 4.20 (d, *J* = 10.1 Hz, 0.33H), 3.52 (d, *J* = 10.1 Hz, 0.33H), 3.36 (d, *J* = 10.1 Hz, 0.67H), 2.58 (d, *J* = 10.0 Hz, 0.67H), 1.77 (s, 1H), 1.33 (s, 2H). **^{13}C NMR (150 MHz, CDCl3)** δ 177.5, 175.8, 165.8, 163.9, 143.5, 142.2, 137.7, 136.3, 135.1, 135.1, 131.6, 131.4, 129.0, 128.8, 128.6, 128.6, 128.4, 128.1, 128.0, 123.6, 123.5, 114.5, 104.5, 51.2, 51.0, 43.3, 42.7, 23.3, 20.3, 9.2, 6.8. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}BrI_2NNaO_2$ $[M+Na]^+$:657.8346; found:657.8354.

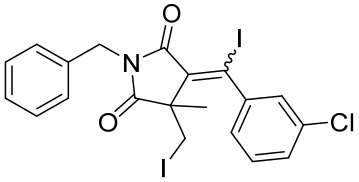


1-benzyl-4-((2-chlorophenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ai) (1.27:1 Z/E mixture) Pale yellow solid, MP: 88.2- 89.0 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.48 (ddd, *J* = 9.6, 7.2, 1.7 Hz, 1.56H), 7.44 (dd, *J* = 7.9, 1.3 Hz, 0.56H), 7.41 (dd, *J* = 7.9, 1.2 Hz, 0.44H), 7.39 – 7.26 (m, 5.56H), 7.26 – 7.23 (m, 0.88H), 4.81 (s, 1.12H), 4.69 – 4.60 (m, 0.88H), 4.21 (d, *J* = 10.0 Hz, 0.44H), 3.54 (d, *J* = 10.0 Hz, 0.44H), 3.35 (d, *J* = 10.0 Hz, 0.56H), 2.77 (d, *J* = 9.9 Hz, 0.56H), 1.80 (s, 1.32H), 1.28 (s, 1.68H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.6, 176.0, 165.8, 163.7, 142.7, 140.8, 138.7, 136.0, 135.1, 135.1, 131.4, 130.8, 130.6, 130.1, 130.0, 129.6, 129.3, 128.7, 128.6, 128.5, 128.1, 127.9, 127.9, 127.0, 126.8, 126.7, 110.9, 102.0, 51.2, 50.9, 43.4, 42.6, 20.7, 20.0, 10.3, 6.8. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClI_2NNaO_2$ $[M+Na]^+$:613.8851; found:613.8856.

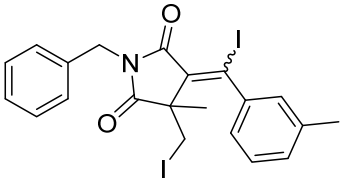


1-benzyl-4-((2-chlorophenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ai') (1:1.7 Z/E mixture) Pale yellow solid, MP:102.1- 103.6 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.53 – 7.50 (m, 0.74H), 7.47 – 7.40 (m, 1.26H), 7.35 – 7.31 (m, 2.22H), 7.31 – 7.27 (m, 2.52H), 7.26 – 7.23 (m, 1.26H), 7.12 (dt, J = 7.6, 2.3 Hz, 1H), 4.82 (s, 0.74H), 4.68 – 4.61 (m, 1.26H), 4.21 (d, J = 10.2 Hz, 0.63H), 3.47 (d, J = 10.0 Hz, 0.63H), 3.20 (d, J = 9.8 Hz, 0.37H), 2.96 (d, J = 9.8 Hz, 0.37H), 1.80 (s, 1.89H), 1.28 (s, 1.11H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.6, 176.0, 166.0, 163.8, 142.6, 140.6, 138.6, 136.1, 135.1, 132.0, 130.8, 130.6, 130.4, 130.0, 129.9, 129.5, 129.0, 128.8, 128.7, 128.7, 128.6,

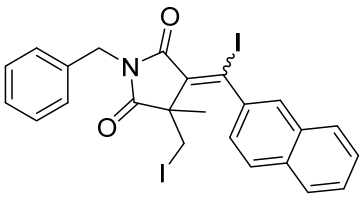
128.5, 128.1, 127.9, 127.5, 126.7, 126.3, 111.3, 102.9, 51.2, 50.8, 43.4, 42.6, 22.9, 20.9, 7.4, 5.0. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClI_2NNaO_2$ $[M+Na]^+$:613.8851; found:613.8856.



1-benzyl-4-((3-chlorophenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3aj) (2:1 Z/E mixture) Orange foamy,MP:54.2- 54.8 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.55 – 7.44 (m, 1.67H), 7.31 (m, 6.66H), 7.16 (d, *J* = 7.1 Hz, 0.67H), 4.81 (s, 1.34H), 4.66 (s, 0.66H), 4.20 (d, *J* = 10.1 Hz, 0.33H), 3.52 (d, *J* = 10.1 Hz, 0.33H), 3.37 (d, *J* = 10.1 Hz, 0.67H), 2.59 (d, *J* = 10.1 Hz, 0.67H), 1.77 (s, 1H), 1.34 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 178.0, 176.3, 166.2, 164.2, 146.6, 145.2, 138.4, 136.8, 135.6, 134.3, 130.0, 129.9, 129.7, 129.5, 129.2, 129.1, 129.1, 128.6, 128.5, 127.2, 125.3, 114.0, 104.3, 51.7, 51.5, 43.9, 43.2, 23.8, 20.7, 9.6, 7.2. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClI_2NNaO_2$ $[M+Na]^+$:613.8851; found:613.8834.

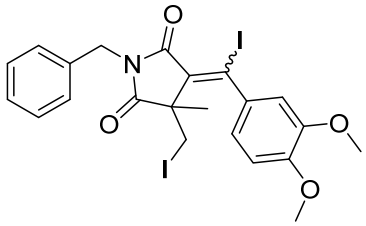


1-benzyl-4-(iodo(m-tolyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ak) (1.7:1 Z/E mixture) White solid, MP:100.1- 101.8 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.50 – 7.42 (m, 1.26H), 7.40 – 7.20 (m, 5.48H), 7.17 – 7.08 (m, 1H), 6.95 (s, 0.63H), 4.81 (s, 0.63H), 4.65 (s, 1.26H), 4.23 (d, J = 10.0 Hz, 0.74H), 3.52 (d, J = 10.0 Hz, 0.37H), 3.32 (d, J = 9.8 Hz, 0.37H), 2.71 – 2.57 (m, 0.63H), 2.36 (s, 3H), 1.78 (s, 1.11H), 1.32 (s, 1.89H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.7, 176.1, 166.0, 163.8, 144.8, 143.3, 137.8, 136.8, 135.4, 135.3, 135.2, 130.1, 130.1, 129.0, 128.8, 128.6, 128.5, 128.0, 127.9, 127.9, 127.1, 123.7, 117.0, 106.8, 51.2, 51.0, 43.3, 42.6, 23.2, 21.5, 21.5, 20.4, 9.5, 7.0. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{19}I_2NNaO_2$$[M+Na]^+$:593.9397; found:593.9389.

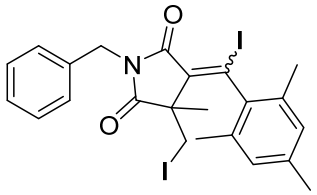


1-benzyl-4-(iodo(naphthalen-2-yl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3al) (2:1 Z/E mixture) Yellow solid. MP:120.0- 121.3 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.02 – 7.75 (m, 3.67H), 7.73 – 7.45 (m, 4H), 7.42 – 7.26 (m, 3.66H), 7.24 (t, *J* = 2.4 Hz, 0.67H), 4.84 (s, 1.34H), 4.65 (s, 0.66H), 4.28 (d, *J* = 10.1 Hz, 0.33H), 3.57

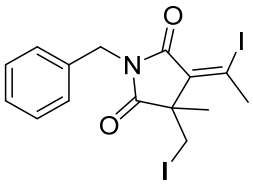
(d, *J* = 10.1 Hz, 0.33H), 3.29 (d, *J* = 25.3 Hz, 0.67H), 2.62 (d, *J* = 94.9 Hz, 0.67H), 1.83 (s, 1H), 1.42 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.9, 176.2, 166.2, 164.1, 142.3, 140.7, 137.4, 136.2, 135.4, 135.4, 133.6, 133.3, 132.8, 129.3, 129.0, 128.8, 128.8, 128.7, 128.2, 128.1, 128.1, 128.0, 127.7, 127.4, 127.2, 126.7, 126.3, 124.7, 116.9, 106.9, 51.3, 43.5, 42.8, 20.6, 7.3. **HRMS** m/z (ESI^+) calcd for $C_{24}H_{19}I_2NNaO_2[M+Na]^+$: 629.9397; found:629.9402.



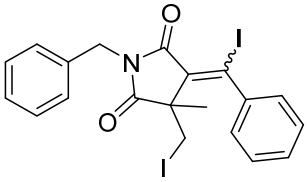
1-benzyl-4-((3,4-dimethoxyphenyl)iodomethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3am) (2:1 Z/E mixture) Orange solid, MP:115.1- 116.3 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.51 – 7.43 (m, 1.34H), 7.42 – 7.27 (m, 3.33H), 7.26 – 7.19 (m, 0.33H), 7.02 (s, 0.67H), 6.93 (dd, *J* = 8.3, 2.1 Hz, 0.33H), 6.89 – 6.78 (m, 1.33H), 6.73 (s, 0.67H), 4.81 (s, 1.34H), 4.67 (s, 0.66H), 4.24 (d, *J* = 10.0 Hz, 0.33H), 3.91 (d, *J* = 2.6 Hz, 5H), 3.84(s, 1H), 3.53 (d, *J* = 10.1 Hz, 0.33H), 3.37 (d, *J* = 10.0 Hz, 0.67H), 2.66 (s, 0.67H), 1.78 (s, 1H), 1.37 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.2, 164.1, 150.1, 149.8, 148.6, 148.5, 137.3, 136.7, 136.1, 135.9, 135.5, 135.4, 129.2, 129.0, 128.8, 128.7, 128.2, 128.1, 120.4, 117.7, 111.0, 110.5, 110.4, 106.9, 56.3, 56.2, 56.1, 56.0, 51.6, 51.3, 43.4, 42.8, 20.7, 7.6. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}I_2NNaO_4[M+Na]^+$: 639.9452; found:639.9459.



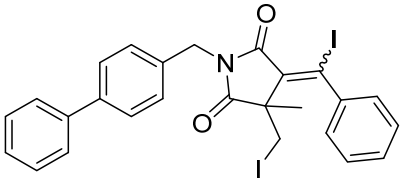
1-benzyl-4-(iodo(mesityl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3an) (1:1.33 Z/E mixture) White solid, MP: 138.6- 139.1 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.55 – 7.50 (m, 0.84H), 7.35 – 7.26 (m, 2.85H), 7.26 – 7.21 (m, 1.29H), 6.91 (s, 0.57H), 6.88 (s, 0.43H), 6.87 (s, 0.57H), 6.85 (s, 0.43H), 4.81 (s, 0.86H), 4.68 – 4.59 (m, 1.14H), 4.26 (d, *J* = 10.0 Hz, 0.57H), 3.48 (d, *J* = 10.0 Hz, 0.57H), 3.16 (d, *J* = 9.8 Hz, 0.43H), 2.90 (d, *J* = 9.8 Hz, 0.43H), 2.39 (s, 1.29H), 2.36 – 2.30 (m, 4.71H), 2.20 (s, 1.29H), 2.12 (s, 1.71H), 1.80 (s, 1.71H), 1.21 (s, 1.29H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.7, 176.3, 166.2, 163.6, 140.2, 139.2, 138.6, 137.4, 137.2, 135.2, 135.1, 134.6, 133.9, 133.5, 133.3, 132.0, 129.6, 128.9, 128.8, 128.7, 128.7, 128.6, 128.5, 128.5, 128.1, 127.9, 118.1, 108.6, 51.4, 50.8, 43.4, 42.5, 21.2, 21.2, 21.0, 20.9, 20.8, 20.4, 19.7, 19.4, 8.2, 6.2. **HRMS** m/z (ESI^+) calcd for $C_{23}H_{23}I_2NNaO_2$ $[M+Na]^+$: 621.9710; found:621.9710.



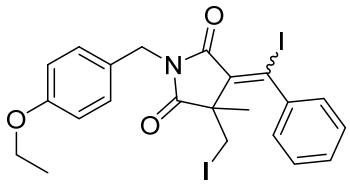
(Z)-1-benzyl-4-(1-iodoethylidene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ao) Yellow solid, MP:78.3-79.1 °C **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.42 – 7.37 (m, 2H), 7.31 (ddt, *J* = 8.0, 6.4, 1.1 Hz, 2H), 7.28 – 7.26 (m, 1H), 4.78 – 4.69 (m, 2H), 4.10 (d, *J* = 10.0 Hz, 1H), 3.44 (d, *J* = 10.0 Hz, 1H), 3.39 (s, 3H), 1.66 (s, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.7, 165.7, 135.3, 135.0, 128.7, 128.6, 128.0, 119.0, 51.3, 42.5, 34.5, 20.4, 7.3. **HRMS** m/z (ESI^+) calcd for $C_{15}H_{15}I_2NNaO_2[M+Na]^+$:517.9084; found:517.9094.



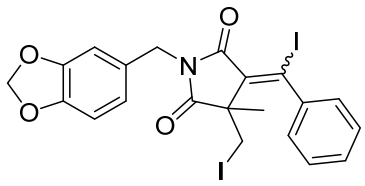
1-benzyl-4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3ba) (1.63:1 Z/E mixture) Yellowish solid, MP:104.6- 105.5 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.45 – 7.38 (m, 1.62H), 7.38 – 7.26 (m, 3.76H), 7.23 (dt, *J* = 6.6, 2.5 Hz, 2.49H), 7.21 – 7.16 (m, 1.52H), 7.15-7.05 (m, 0.62H), 4.75 (s, 1.24H), 4.58 (s, 0.76H), 4.17 (d, *J* = 10.0 Hz, 0.38H), 3.46 (d, *J* = 10.0 Hz, 0.38H), 3.25 (d, *J* = 10.0 Hz, 0.62H), 2.54 (d, *J* = 9.9 Hz, 0.62H), 1.72 (s, 1.14H), 1.25 (s, 1.86H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.1, 164.0, 144.9, 143.6, 137.2, 135.8, 135.4, 129.5, 129.4, 129.2, 128.9, 128.8, 128.7, 128.3, 128.2, 128.1, 126.8, 116.8, 106.6, 51.4, 51.2, 43.5, 42.8, 23.4, 20.5, 9.7, 7.2. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{17}I_2NNaO_2[M+Na]^+$:579.9241; found:579.9242.



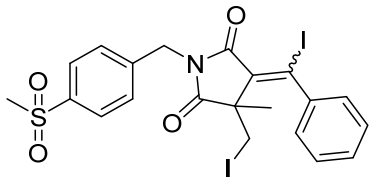
1-([1,1'-biphenyl]-4-ylmethyl)-4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3bb) (2:1 Z/E mixture) Pale orange foamy, MP:60.0- 60.8 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.61 – 7.52 (m, 4.67H), 7.52 – 7.48 (m, 0.99H), 7.47 – 7.37 (m, 5H), 7.37 – 7.29 (m, 2.67H), 7.19 (s, 0.67H), 4.86 (d, J = 1.3 Hz, 1.34H), 4.70 (s, 0.67H), 4.25 (d, J = 10.1 Hz, 0.33H), 3.55 (d, J = 10.0 Hz, 0.33H), 3.34 (d, J = 10.0 Hz, 0.67H), 2.63 (d, J = 10.0 Hz, 0.67H), 1.81 (s, 1H), 1.34 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.9, 176.3, 166.2, 164.0, 145.0, 143.6, 141.1, 141.0, 140.9, 140.8, 137.2, 135.9, 134.4, 129.7, 129.5, 129.4, 129.0, 128.9, 128.5, 128.3, 127.6, 127.5, 127.4, 127.3, 127.2, 126.9, 116.9, 106.7, 51.5, 51.2, 43.2, 42.5, 23.4, 20.6, 9.8, 7.2. **HRMS** m/z (ESI^+) calcd for $C_{26}H_{21}I_2NNaO_2[M+Na]^+$:655.9554; found:655.9537. 1H NMR (400 MHz, Chloroform-d) δ



1-(4-ethoxybenzyl)-4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3bc) (2:1 Z/E mixture) White solid, MP:97.2- 98.1 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.46-7.26 (m, 2.98H), 7.26 – 7.24(m, 0.67H), 7.23-7.11 (m, 2.68H), 7.10-6.95 (m, 0.67H), 6.74 – 6.68 (m, 1.34H), 6.67 – 6.62 (m, 0.66H), 4.62 (s, 1.34H), 4.45 (s, 0.67H), 4.09 (d, *J* = 10.1 Hz, 0.33H), 3.91 – 3.81 (m, 2H), 3.38 (d, *J* = 10.1 Hz, 0.33H), 3.18 (d, *J* = 10.0 Hz, 0.67H), 2.48 (d, *J* = 10.0 Hz, 0.67H), 1.64 (s, 1H), 1.26 (dt, *J* = 8.7, 7.0 Hz, 3H), 1.17 (s, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.2, 164.0, 158.9, 158.8, 145.0, 143.6, 137.3, 135.9, 130.7, 130.5, 129.5, 129.3, 128.3, 127.5, 126.9, 116.6, 114.6, 114.5, 106.4, 63.6, 63.6, 51.3, 51.1, 42.9, 42.3, 23.3, 20.5, 15.0, 15.0, 9.8, 7.2. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}I_2NNaO_3[M+Na]^+$:623.9503; found:623.9507.

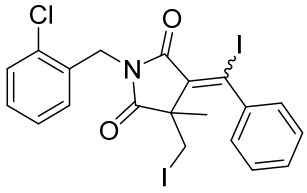


1-(benzo[d][1,3]dioxol-5-ylmethyl)-4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3bd) (1.5:1 Z/E mixture) Orange solid, MP:119.8- 120.3 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.58 – 7.26 (m, 4.8H), 7.18 (t, J = 7.8 Hz, 0.6H), 6.97 (s, 0.8H), 6.95 (d, J = 1.8 Hz, 0.4H), 6.85 – 6.80 (m, 0.8H), 6.76 (d, J = 0.8 Hz, 0.6H), 6.74 (d, J = 0.8 Hz, 0.4H), 6.69 – 6.66 (m, 1.2H), 5.94 (s, 0.8H), 5.90 (s, 0.4H), 4.71 (d, J = 1.8 Hz, 1.2H), 4.55 (s, 0.8H), 4.23 (d, J = 10.1 Hz, 0.4H), 3.52 (d, J = 10.0 Hz, 0.4H), 3.31 (d, J = 10.0 Hz, 0.6H), 2.61 (d, J = 9.9 Hz, 0.6H), 1.78 (s, 1.2H), 1.31 (s, 1.8H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.1, 164.0, 147.8, 147.8, 147.5, 147.4, 144.9, 143.6, 137.2, 135.8, 129.5, 129.4, 129.1, 129.1, 128.3, 126.8, 123.0, 122.8, 116.8, 109.9, 109.6, 108.4, 108.3, 106.7, 101.3, 101.2, 51.3, 51.1, 43.2, 42.5, 23.3, 20.5, 9.8, 7.2. **HRMS** m/z (ESI^+) calcd for $C_{21}H_{17}I_2NNaO_4[M+Na]^+$:623.9139; found:623.9144.

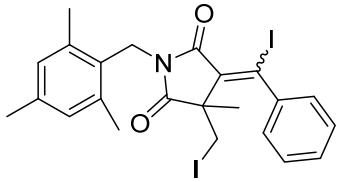


4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-1-(4-(methylsulfonyl)benzyl) pyrrolidine-2,5-dione (3be) (1:1 Z/E mixture) Yellowish solid, MP:139.0- 139.7 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.80 – 7.73 (m, 1H), 7.72 – 7.64 (m, 1H), 7.58 – 7.48 (m, 1H), 7.44 – 7.35 (m, 1H), 7.34 – 7.17 (m, 3.5H), 7.17 – 7.11 (m,

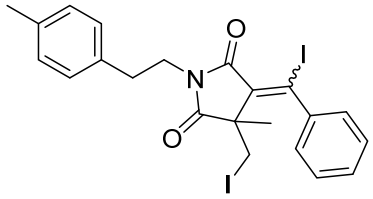
1H), 7.03 (s, 0.5H), 4.79 – 4.66 (m, 1H), 4.56 (d, *J* = 3.9 Hz, 1H), 4.10 (d, *J* = 10.2 Hz, 0.5H), 3.37 (d, *J* = 10.2 Hz, 0.5H), 3.17 (d, *J* = 10.0 Hz, 0.5H), 2.88 (s, 1.5H), 2.85 (s, 1.5H), 2.47 (d, *J* = 10.0 Hz, 0.5H), 1.66 (s, 1.5H), 1.19 (s, 1.5H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 178.0, 176.4, 166.3, 164.1, 145.1, 143.8, 141.6, 141.5, 140.7, 140.6, 137.1, 135.8, 130.4, 130.1, 130.0, 129.8, 128.9, 128.7, 128.3, 128.2, 127.0, 118.1, 108.1, 51.9, 51.6, 45.0, 45.0, 43.1, 42.4, 23.7, 20.9, 10.1, 7.6. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}I_2NNaO_4[M+Na]^+$:657.9016; found:657.9004.



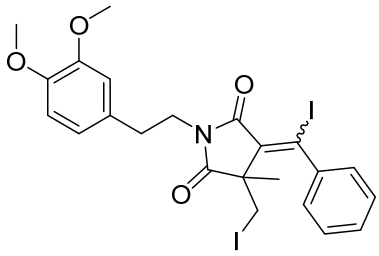
1-(2-chlorobenzyl)-4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3bf) (1.56:1 Z/E mixture) White solid, MP:147.3- 147.7 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.71 – 7.49 (m, 0.61H), 7.48 – 7.40 (m, 1.22H), 7.40 – 7.29 (m, 4.39H), 7.25 – 7.11 (m, 2.78H), 5.04 – 4.92 (m, 1.22H), 4.80 (q, *J* = 15.6 Hz, 0.78H), 4.27 (d, *J* = 10.1 Hz, 0.39H), 3.58 (d, *J* = 10.1 Hz, 0.39H), 3.36 (d, *J* = 10.0 Hz, 0.61H), 2.60 (d, *J* = 10.0 Hz, 0.61H), 1.85 (s, 1.17H), 1.39 (s, 1.83H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.5, 175.8, 165.9, 163.8, 144.7, 143.4, 136.9, 135.5, 133.2, 133.0, 132.2, 132.1, 129.6, 129.5, 129.4, 129.4, 129.2, 129.1, 128.9, 128.6, 128.4, 128.1, 126.9, 126.8, 126.6, 126.1, 125.4, 117.2, 106.9, 51.5, 51.3, 40.9, 40.3, 23.7, 20.7, 9.4, 7.1. **HRMS** m/z (ESI^+) calcd for $C_{20}H_{16}ClI_2NNaO_2[M+Na]^+$:613.8851; found:613.8844.



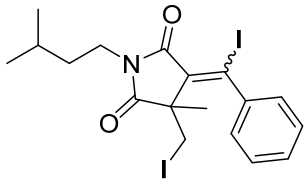
4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-1-(2,4,6-trimethylbenzyl)pyrrolidine-2,5-dione (3bg) (1.78:1 Z/E mixture) Pale orange foamy, MP:46.3- 47.8 °C **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.64 – 7.36 (m, 2.44H), 7.33 (td, *J* = 7.1, 1.4 Hz, 1.92H), 7.15 (d, *J* = 15.7 Hz, 0.64H), 6.86 (s, 1.28H), 6.81 (s, 0.72H), 4.92 – 4.77 (m, 1.28H), 4.66 (q, *J* = 14.7 Hz, 0.72H), 4.21 (d, *J* = 10.1 Hz, 0.36H), 3.47 (d, *J* = 10.1 Hz, 0.36H), 3.29 (d, *J* = 9.9 Hz, 0.64H), 2.56 (d, *J* = 10.0 Hz, 0.64H), 2.43 (s, 3.84H), 2.32 (s, 2.16H), 2.26 (s, 1.92H), 2.24 (s, 1.08H), 1.74 (s, 1.08H), 1.31 (s, 1.92H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.6, 176.0, 166.0, 163.9, 145.0, 143.6, 138.2, 138.1, 137.6, 137.5, 137.2, 135.8, 129.5, 129.4, 129.4, 129.3, 128.2, 127.8, 126.9, 116.7, 106.3, 51.4, 51.0, 38.9, 38.3, 23.7, 21.1, 21.1, 20.8, 20.7, 20.7, 9.8, 7.4. **HRMS** m/z (ESI^+) calcd for $C_{23}H_{23}I_2NNaO_2[M+Na]^+$:621.9710; found:621.9705.



4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-1-(4-methylphenethyl)pyrrolidine-2,5-dione (3bh) (3:1 Z/E mixture) White solid, MP: 101.7- 103.1 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.55 – 7.45 (m, 0.75H), 7.44 – 7.38 (m, 2H), 7.37 – 7.27 (m, 1.75H), 7.18 (d, *J* = 8.0 Hz, 2H), 7.12 (d, *J* = 7.8 Hz, 1.5H), 7.07 (s, 1H), 4.22 (d, *J* = 10.1 Hz, 0.25H), 3.86 (ddd, *J* = 9.9, 5.9, 2.2 Hz, 1.5H), 3.70 (dt, *J* = 9.3, 6.5 Hz, 0.5H), 3.51 (d, *J* = 10.0 Hz, 0.25H), 3.31 (d, *J* = 10.0 Hz, 0.75H), 2.99 – 2.92 (m, 1.5H), 2.87 – 2.78 (m, 0.5H), 2.62 (d, *J* = 10.0 Hz, 0.75H), 2.33 (s, 2.25H), 2.30 (s, 0.75H), 1.72 (s, 0.75H), 1.27 (s, 2.25H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.6, 176.0, 166.2, 164.1, 144.8, 143.4, 137.1, 136.3, 136.2, 135.7, 134.6, 134.5, 129.4, 129.3, 129.2, 128.8, 128.4, 128.1, 126.6, 126.3, 125.4, 116.2, 106.0, 51.1, 50.9, 41.0, 40.2, 33.2, 33.0, 23.1, 21.0, 20.2, 9.7, 7.1. **HRMS** m/z (ESI^+) calcd for $C_{22}H_{21}I_2NNaO_2[M+Na]^+$:607.9554; found:607.9551.

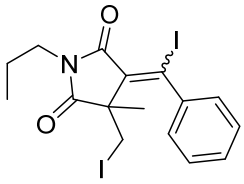


1-(3,4-dimethoxyphenethyl)-4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (3bi) (1.7:1 Z/E mixture) Yellow oil. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.51 – 7.36 (m, 2.52H), 7.36 – 7.24 (m, 1.85H), 7.21 – 7.10 (m, 0.63H), 6.83 – 6.76 (m, 1.89H), 6.75 – 6.67 (m, 1.11H), 4.20 (d, *J* = 10.1 Hz, 0.37H), 3.88 (s, 1.89H), 3.87 – 3.85 (m, 1.27H), 3.84 (s, 1.89H), 3.82 (s, 1.11H), 3.81 (s, 1.11H), 3.73 – 3.64 (m, 0.74H), 3.48 (d, *J* = 10.1 Hz, 0.37H), 3.28 (d, *J* = 10.0 Hz, 0.63H), 2.97 – 2.90 (m, 1.26H), 2.85 – 2.75 (m, 0.74H), 2.59 (d, *J* = 10.0 Hz, 0.63H), 1.70 (s, 1.11H), 1.23 (s, 1.89H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.5, 175.9, 166.1, 164.0, 148.8, 148.7, 147.7, 147.6, 144.7, 143.3, 136.9, 135.5, 130.0, 129.9, 129.3, 129.0, 128.0, 126.5, 120.8, 116.1, 111.9, 111.8, 111.2, 111.0, 106.0, 55.8, 55.8, 55.7, 51.0, 50.7, 40.7, 40.0, 33.0, 32.8, 22.9, 20.1, 9.5, 7.0. **HRMS** m/z (ESI^+) calcd for $C_{23}H_{23}I_2NNaO_4[M+Na]^+$: 653.9609; found653.9621.



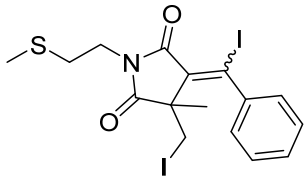
4-(iodo(phenyl)methylene)-3-(iodomethyl)-1-isopentyl-3-methylpyrrolidine-2,5-dione (3bj)

(1.7:1 Z/E mixture) Yellow solid, MP:99.9- 101.3 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.52 – 7.36 (m, 2.52H), 7.36 – 7.27 (m, 1.85H), 7.24 – 7.07 (m, 0.63H), 4.23 (d, *J* = 10.0 Hz, 0.37H), 3.66 (td, *J* = 7.1, 2.2 Hz, 1.26H), 3.54 – 3.44 (m, 1.11H), 3.31 (dd, *J* = 9.9, 1.0 Hz, 0.63H), 2.63 (d, *J* = 9.9 Hz, 0.63H), 1.79 (s, 1.11H), 1.65 (dq, *J* = 13.1, 6.6 Hz, 1H), 1.60 – 1.54 (m, 1.26H), 1.47 – 1.40 (m, 0.74H), 1.31 (s, 1.89H), 0.96 (dd, *J* = 6.5, 2.5 Hz, 3.78H), 0.88 (d, *J* = 6.5 Hz, 2.22H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 178.2, 176.6, 166.8, 164.7, 145.3, 143.9, 137.6, 136.2, 129.8, 129.6, 128.8, 128.6, 127.0, 116.5, 106.3, 51.5, 51.2, 38.7, 38.2, 36.7, 36.6, 26.6, 26.6, 23.5, 22.8, 22.8, 22.8, 22.7, 20.7, 10.4, 7.8. **HRMS** m/z (ESI$^+$) calcd for $C_{18}H_{21}I_2NNaO_2$[M+Na]$^+$:559.9554; found:559.9554.

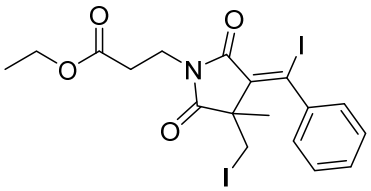


4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-1-propylpyrrolidine-2,5-dione (3bk)

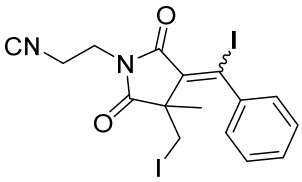
(1:1 Z/E mixture) White solid, MP:75.8- 76.2 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.53 – 7.28 (m, 4.5H), 7.25-7.10 (m, 0.5H), 4.24 (d, *J* = 10.0 Hz, 0.5H), 3.62 (ddd, *J* = 8.3, 6.3, 0.9 Hz, 1H), 3.53 (d, *J* = 10.1 Hz, 0.5H), 3.45 (dd, *J* = 7.8, 7.0 Hz, 1H), 3.32 (d, *J* = 10.0 Hz, 0.5H), 2.62 (d, *J* = 9.9 Hz, 0.5H), 1.80 (s, 1.5H), 1.71 (q, *J* = 7.4 Hz, 1H), 1.58 (dtd, *J* = 14.8, 7.4, 2.6 Hz, 1H), 1.33 (s, 1.5H), 0.98 (t, *J* = 7.4 Hz, 1.5H), 0.87 (t, *J* = 7.4 Hz, 1.5H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.3, 164.3, 144.8, 143.5, 137.2, 135.7, 129.3, 129.1, 128.1, 126.5, 116.0, 105.9, 51.1, 50.8, 41.3, 40.7, 23.2, 21.0, 20.9, 20.3, 11.5, 11.4, 9.8, 7.2. **HRMS** m/z (ESI$^+$) calcd for $C_{16}H_{17}I_2NNaO_2$ [M+Na]$^+$:531.9241; found:531.9236.



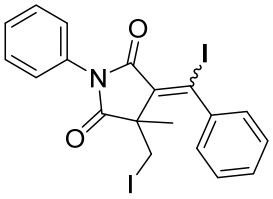
4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-1-(2-(methylthio)ethyl)pyrrolidine-2,5-dione (3bl) (1.38:1 Z/E mixture) Yellow solid, MP:87.0- 88.8 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.39 – 7.11 (m, 4.58H), 7.08-6.95 (m, 0.42H), 4.09 (d, *J* = 10.1 Hz, 0.42H), 3.72 (td, *J* = 7.0, 2.5 Hz, 1.16H), 3.61 – 3.50 (m, 0.84H), 3.37 (d, *J* = 10.0 Hz, 0.42H), 3.16 (d, *J* = 10.0 Hz, 0.58H), 2.64 (t, *J* = 7.2 Hz, 1.16H), 2.54 – 2.49 (m, 0.84 H), 2.46 (d, *J* = 10.0 Hz, 0.58H), 2.03 (s, 1.74H), 1.92 (s, 1.26H), 1.67 (s, 1.26H), 1.20 (s, 1.74H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.8, 176.2, 166.3, 164.2, 145.0, 143.6, 137.2, 135.8, 129.6, 129.4, 128.5, 128.3, 126.8, 116.8, 106.7, 51.5, 51.3, 38.2, 37.6, 31.2, 31.1, 23.5, 20.6, 15.4, 15.3, 9.8, 7.3. **HRMS** m/z (ESI$^+$) calcd for $C_{16}H_{17}I_2NNaO_2S$[M+Na]$^+$: 563.8962; found:563.8963.



Ethyl (Z)-3-(4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-2,5-dioxopyrrolidin-1-yl)propanoate (3bm) (2:1 Z/E mixture) Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.57 – 7.38 (m, 2.67H), 7.38 – 7.33 (m, 1H), 7.30 (d, *J* = 7.4 Hz, 0.66H), 7.18 (s, 0.67H), 4.24 (d, *J* = 10.0 Hz, 0.33H), 4.16 (q, *J* = 7.1 Hz, 1.32H), 4.09 (q, *J* = 7.1 Hz, 0.67H), 4.02 – 3.92 (m, 1.34H), 3.80 (ddd, *J* = 8.1, 6.9, 3.8 Hz, 0.67H), 3.52 (d, *J* = 10.0 Hz, 0.33H), 3.32 (d, *J* = 10.1 Hz, 0.67H), 2.73 (ddd, *J* = 8.1, 6.9, 2.4 Hz, 1.34H), 2.64 (d, *J* = 10.0 Hz, 0.67H), 2.60 (s, 0.66H), 1.81 (s, 1H), 1.34 (s, 2H), 1.27 (t, J = 7.2 Hz, 2H), 1.21 (t, J = 7.2 Hz, 1H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.4, 175.8, 170.5, 170.4, 165.9, 163.9, 144.7, 143.4, 136.9, 135.5, 129.4, 129.2, 128.3, 128.1, 126.5, 116.6, 60.9, 60.8, 51.2, 50.9, 35.3, 34.6, 31.9, 31.8, 23.0, 20.1, 14.2, 14.1, 9.8, 7.2. **HRMS** m/z (ESI$^+$) calcd for $C_{18}H_{19}I_2NNaO_4$[M+Na]$^+$:589.9296; found:589.9284.

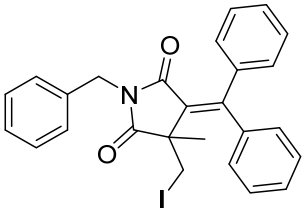


3-(4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-2,5-dioxopyrrolidin-1-yl) propanenitrile (3bn) (1.78:1 Z/E mixture) Yellow oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.70 – 7.39 (m, 2.56H), 7.39 – 7.32 (m, 1.08H), 7.31 (d, *J* = 6.9 Hz, 0.72H), 7.18 (s, 0.64H), 4.26 (d, *J* = 10.1 Hz, 0.36H), 4.01 (ddd, *J* = 13.3, 7.7, 7.0 Hz, 0.64H), 3.95 (ddd, *J* = 13.5, 7.8, 6.0 Hz, 0.64H), 3.84 (dt, *J* = 13.4, 7.4 Hz, 0.36H), 3.80 – 3.74 (m, 0.36H), 3.52 (d, *J* = 10.1 Hz, 0.36H), 3.32 (d, *J* = 10.0 Hz, 0.64H), 2.87-2.76 (m, 1.28H), 2.71 – 2.67 (m, 0.72H), 2.66 (d, J = 10.0 Hz, 0.64H), 1.85 (s, 1.08H), 1.37 (s, 1.92H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.2, 175.7, 165.6, 163.5, 144.6, 143.3, 136.4, 135.2, 129.6, 129.4, 128.5, 128.2, 126.5, 126.1, 125.1, 118.0, 116.4, 116.3, 108.1, 51.5, 51.2, 34.9, 34.2, 23.1, 20.3, 16.1, 16.0, 9.6, 7.0. **HRMS** m/z (ESI$^+$) calcd for $C_{16}H_{14}I_2N2NaO_2$[M+Na]$^+$: 542.9037; found:542.9032.

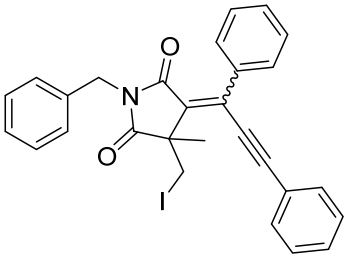


4-(iodo(phenyl)methylene)-3-(iodomethyl)-3-methyl-1-phenylpyrrolidine-2,5-dione(3bo) (1.44:1 Z/E mixture) Yellow solid, Mp:156.7- 158.2 ℃. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.62 – 7.48 (m, 1.77H), 7.48 – 7.40 (m, 3.59H), 7.40 – 7.33 (m, 2.87H), 7.33 – 7.27 (m, 1.77H), 4.36 (d, *J* = 10.0 Hz, 0.41H), 3.63 (d, *J* = 10.0 Hz, 0.41H), 3.43 (d, *J* = 9.9 Hz,

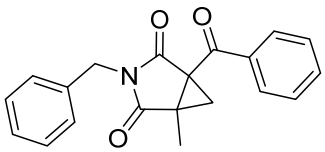
0.59H), 2.77 (d, *J* = 9.9 Hz, 0.59H), 1.95 (s, 1.23H), 1.45 (s, 1.77H).**^{13}C NMR (100 MHz, $CDCl_3$)** δ 177.3, 175.7, 165.6, 163.5, 145.1, 143.8, 137.0, 135.7, 132.0, 131.4, 129.6, 129.3, 129.2, 129.0, 128.4, 126.8, 126.7, 126.6, 117.8, 108.0, 51.3, 51.0, 23.3, 20.6, 10.7, 7.9. **HRMS** m/z (ESI^+) calcd for $C_{19}H_{15}I_2NNaO_2$ $[M+Na]^+$:565.9084; found:565.9083.



1-benzyl-4-(diphenylmethylene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (4) Yellow powder, MP:151.6-152.8 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.41 – 7.35 (m, 5H), 7.35 – 7.30 (m, 5H), 7.30 – 7.22 (m, 5H), 4.72 (s, 2H), 3.41 (d, *J* = 9.8 Hz, 1H), 2.66 (d, *J* = 9.8 Hz, 1H), 1.46 (s, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.9, 167.5, 155.1, 140.8, 139.2, 135.7, 129.6, 128.8, 128.7, 128.5, 128.5, 128.4, 128.2, 128.0, 127.8, 127.3, 49.5, 42.6, 24.5, 10.4. **HRMS** m/z (ESI^+) calcd for$C_{26}H_{22}INNaO_2$ $[M+Na]^+$:530.0587; found:530.0581.

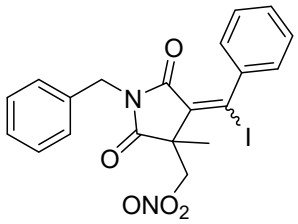


1-benzyl-4-(1,3-diphenylprop-2-yn-1-ylidene)-3-(iodomethyl)-3-methylpyrrolidine-2,5-dione (5) (1.7:1 Z/E mixture) Yellow foamy, MP:68.7- 70.7 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.58 – 7.55 (m, 1.26H), 7.55 – 7.52 (m, 0.74H), 7.50 – 7.47 (m, 1.26H), 7.47 – 7.42 (m, 5.22H), 7.41 – 7.36 (m, 1.89H), 7.36 – 7.30 (m, 3.15H), 7.30 – 7.26 (m, 1.48H), 4.84 (s, 1.28H), 4.72 (s, 0.74H), 4.22 (d, J = 9.7 Hz, 0.37H), 3.71 (d, J = 9.6 Hz, 0.37H), 3.38 (d, J = 9.9 Hz, 0.63H), 2.66 (d, J = 9.8 Hz, 0.63H), 1.87 (s, 1.11H), 1.35 (s, 1.89H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.6, 177.4, 166.8, 166.0, 136.5, 136.5, 136.1, 135.6, 135.5, 135.3, 134.2, 133.2, 132.3, 131.6, 130.1, 129.5, 129.2, 129.0, 128.9, 128.8, 128.7, 128.7, 128.6, 128.5, 128.5, 128.3, 128.0, 127.8, 127.8, 127.3, 122.5, 121.5, 104.8, 104.0, 89.6, 87.6, 49.6, 49.4, 42.7, 42.5, 23.5, 21.0, 10.0, 7.4. **HRMS** m/z (ESI^+) calcd for$C_{28}H_{22}INNaO_2$ $[M+Na]^+$:554.0587; found:554.0582.

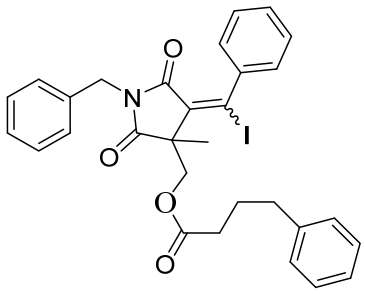


1-benzoyl-3-benzyl-5-methyl-3-azabicyclo[3.1.0]hexane-2,4-dione (6) White solid, MP:89.9- 91.8 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.61 – 7.53 (m, 3H), 7.40 – 7.30 (m, 7H), 4.62 (s, 2H), 2.27 (d, *J* = 4.6 Hz, 1H), 1.76 (d, *J* = 4.6 Hz, 1H),

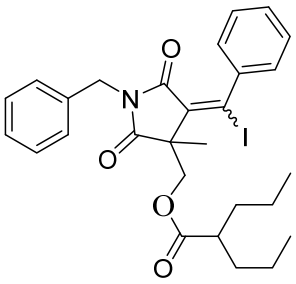
1.41 (s, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 189.9, 173.9, 171.6, 135.3, 134.7, 132.8, 127.8, 127.7, 127.3, 127.2, 41.8, 41.4, 35.7, 29.6, 9.0. **HRMS** m/z (ESI^+) calcd for$C_{20}H_{17}NNaO_3$ $[M+Na]^+$:342.1101; found:342.1094.



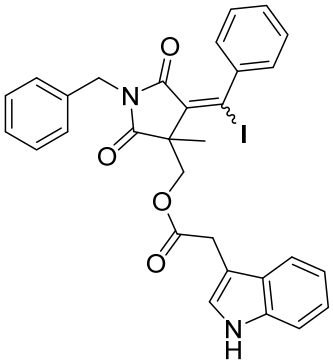
(1-benzyl-4-(iodo(phenyl)methylene)-3-methyl-2,5-dioxopyrrolidin-3-yl)methyl nitrate (7) (1.13:1 Z/E mixture) White foamy, MP:63.9- 65.2 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.43 – 7.37 (m, 3.12H), 7.37 – 7.27 (m, 3.41H), 7.27 – 7.26 (m, 0.52H), 7.25 – 7.20 (m, 1.88H), 7.18 – 7.13 (m, 1.06H), 5.51 (d, *J* = 10.2 Hz, 0.47H), 4.82 (s, 1.06H), 4.68 (d, *J* = 10.2 Hz, 0.47H), 4.66 (d, *J* = 2.5 Hz, 0.94H), 4.49 (d, *J* = 9.7 Hz, 0.53H), 3.72 (d, *J* = 9.8 Hz, 0.53H), 1.68 (s, 1.41H), 1.20 (s, 1.59H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 176.5, 174.8, 165.9, 163.8, 144.4, 143.3, 135.0, 135.0, 133.6, 132.4, 129.5, 129.4, 128.8, 128.8, 128.7, 128.3, 128.2, 128.1, 127.9, 126.7, 126.7, 117.0, 107.0, 72.5, 71.4, 49.0, 48.4, 43.3, 42.6, 21.1, 18.0. **HRMS** m/z (ESI^+) calcd for$C_{20}H_{17}NNaO_3$ $[M+Na]^+$:515.0074; found:515.0061.



(1-benzyl-4-(iodo(phenyl)methylene)-3-methyl-2,5-dioxopyrrolidin-3-yl)methyl 4-phenylbutanoate (8) (1.5:1 Z/E mixture) Yellowish oil. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.38 – 7.33 (m, 1.2H), 7.30 (t, *J* = 7.7 Hz, 1.2H), 7.27 – 7.21 (m, 4H), 7.2-7.17(m, 2.6H), 7.13 (dtd, *J* = 5.4, 3.8, 1.3 Hz, 2.2H), 7.10 – 7.00 (m, 3.8H), 5.10 (d, *J* = 10.8 Hz, 0.4H), 4.71 (d, *J* = 1.5 Hz, 1.2H), 4.60 – 4.50 (m, 0.8H), 4.08 (d, *J* = 10.8 Hz, 0.4H), 3.91 (d, *J* = 10.7 Hz, 0.6H), 3.77 (d, *J* = 10.8 Hz, 0.6H), 2.52 – 2.41 (m, 2H), 1.97 – 1.86 (m, 2H), 1.68 (pd, *J* = 7.4, 3.2 Hz, 2H), 1.57 (s, 1.2H), 0.97 (s, 1.8H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 176.7, 175.0, 171.3, 165.6, 163.4, 143.8, 142.4, 140.0, 134.5, 133.9, 132.9, 128.3, 128.0, 127.8, 127.6, 127.5, 127.4, 127.4, 127.4, 127.3, 127.1, 127.0, 126.9, 125.5, 125.0, 125.0, 114.7, 105.2, 66.3, 63.8, 49.1, 48.8, 42.0, 41.4, 33.9, 33.9, 31.9, 31.8, 25.1, 25.1, 18.2, 16.3. **HRMS** m/z (ESI^+) calcd for$C_{30}H_{28}INNaO_4$ $[M+Na]^+$:616.0955; found:616.0953.

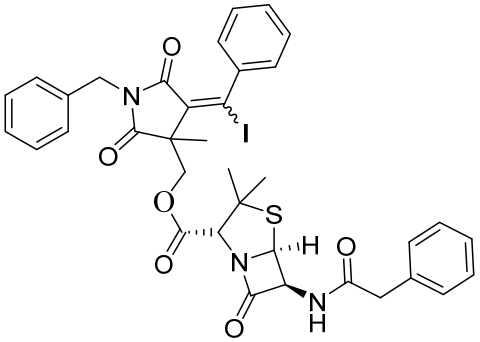


(1-benzyl-4-(iodo(phenyl)methylene)-3-methyl-2,5-dioxopyrrolidin-3-yl)methyl 2-propylpentanoate (9) (1.7:1 Z/E mixture) White foamy. MP: 66.3- 67.3 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.45 – 7.37 (m, 1.26H), 7.30 (dt, J = 11.0, 7.6 Hz, 2.11H), 7.24 (q, J = 7.2, 6.5 Hz, 3.52H), 7.19 – 7.15 (m, 1.26H), 7.10 (d, J = 7.6 Hz, 0.74H), 7.08 – 7.02 (m, 1.11H), 5.17 (d, J = 10.8 Hz, 0.37H), 4.76 – 4.69 (m, 1.26H), 4.60 – 4.53 (m, 0.74H), 4.02 (d, J = 10.8 Hz, 0.37H), 3.93 (d, J = 10.7 Hz, 0.63H), 3.80 (d, J = 10.7 Hz, 0.63H), 1.98 (ddq, J = 14.0, 9.6, 5.1, 4.6 Hz, 1H), 1.57 (s, 1.11H), 1.35 – 1.23 (m, 2H), 1.20 – 1.01 (m, 6H), 0.97 (s, 1.89H), 0.82 – 0.71 (m, 6H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 176.7, 175.1, 174.4, 174.3, 165.5, 163.4, 143.8, 142.4, 134.5, 134.5, 133.9, 132.9, 128.2, 128.1, 128.0, 127.8, 127.6, 127.5, 127.3, 127.0, 126.9, 125.6, 124.8, 114.5, 105.2, 66.1, 63.6, 49.0, 48.8, 44.0, 43.9, 42.1, 41.4, 33.5, 33.2, 19.6, 19.5, 19.5, 18.1, 16.4, 13.1, 13.1, 13.0, 13.0. **HRMS** m/z (ESI^+) calcd for $C_{28}H_{32}INNaO_4$ $[M+Na]^+$:596.1268; found:596.1261.



(1-benzyl-4-(iodo(phenyl)methylene)-3-methyl-2,5-dioxopyrrolidin-3-yl)methyl 2-(1H-indol-3-yl)acetate (10) (1.5:1 Z/E mixture) Pale orange foamy, MP:61.7- 62.8 °C **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.12 (s, 0.6H), 7.97 (s, 0.4H), 7.50 (d, *J* = 7.8 Hz, 0.6H), 7.48 – 7.45 (m, 1.2H), 7.43 (d, *J* = 7.9 Hz, 0.4H), 7.34 (td, *J* = 7.8, 2.4 Hz, 3H), 7.30 – 7.26 (m, 1.8H), 7.25 – 7.15 (m, 3.6H), 7.11 (t, *J* = 7.5 Hz, 0.6H), 7.07 – 6.96 (m, 1H), 6.78 (d, *J* = 2.3 Hz, 0.6H), 6.72 (d, *J* = 2.3 Hz, 0.4H),6.26(s, 0.4H), 5.24 (d, *J* = 10.9 Hz, 0.4H), 4.72 (d, *J* = 14.0 Hz, 0.6H), 4.64 (d, *J* = 14.0 Hz, 0.6H), 4.57 (d, *J* = 14.1 Hz, 0.4H), 4.45 (d, *J* = 14.2 Hz, 0.4H), 4.18 (d, *J* = 10.9 Hz, 0.4H), 4.04 (d, *J* = 10.8 Hz, 0.6H), 3.52 (dt, *J* = 11.3, 7.9 Hz, 1.6H), 3.44 (d, *J* = 3.7 Hz, 0.6H),3.41(d, *J* = 3.2Hz, 0.4H) 1.62 (s, 1.2H), 1.02 (s, 1.8H). **^{13}C NMR (150MHz, $CDCl_3$)** δ 177.8, 176.1, 170.8, 170.5, 166.5, 164.3, 144.8, 143.2, 136.1, 135.9, 135.8, 135.7, 134.5, 133.5, 129.1, 128.9, 128.9, 128.9, 128.8, 128.7, 128.2, 128.1, 128.0, 127.0, 127.0, 126.5, 125.8, 123.2, 123.1, 122.4, 122.3, 120.1, 120.0, 118.7,

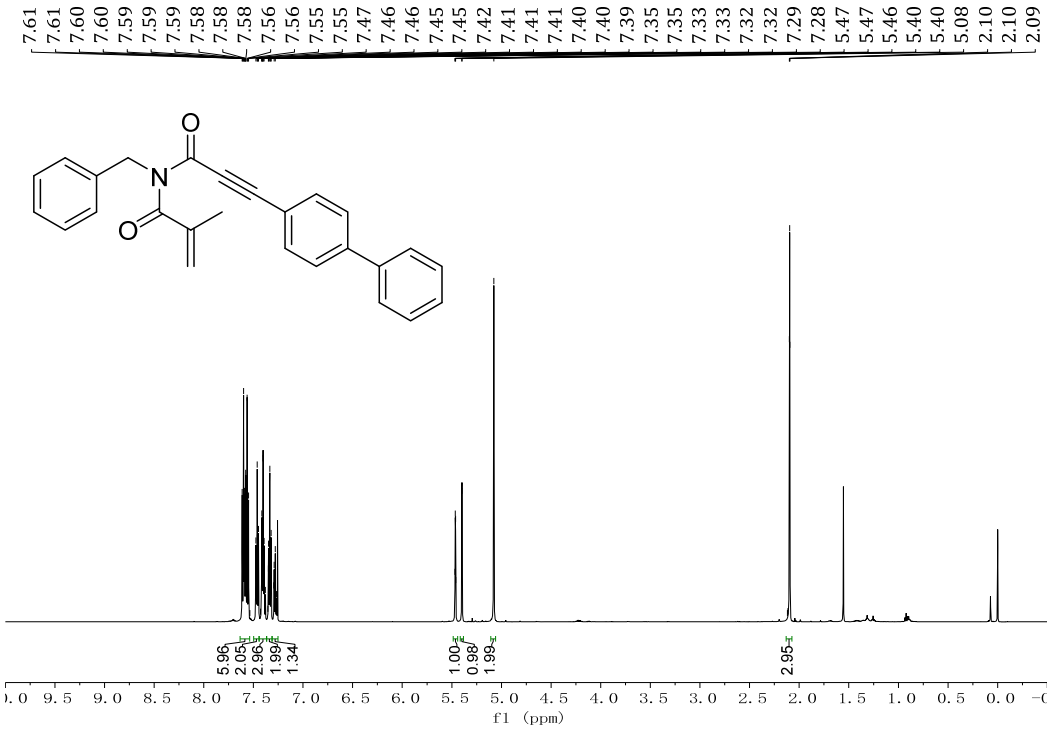
118.6, 115.9, 111.5, 111.2, 107.9, 107.7, 106.2, 67.1, 65.1, 50.1, 49.8, 43.0, 42.3, 31.1, 30.7, 19.5, 17.4. **HRMS** m/z (ESI$^+$) calcd for$C_{30}H_{25}IN_2NaO_4$ $[M+Na]^+$:627.0751; found:627.0758.

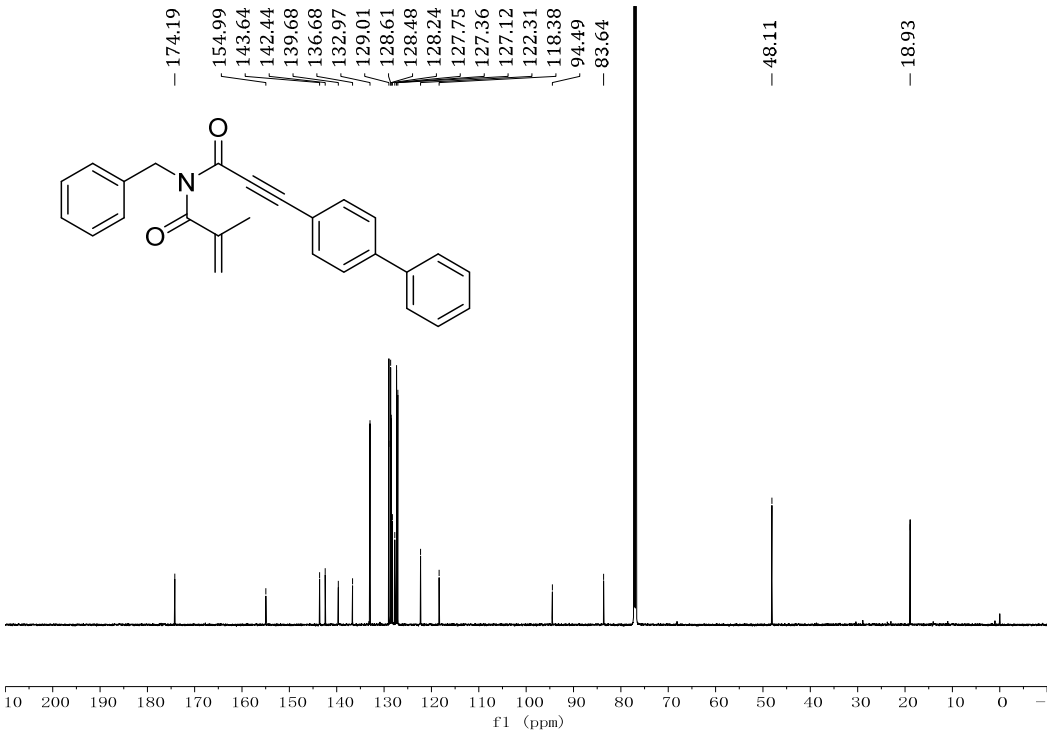


(1-benzyl-4-(iodo(phenyl)methylene)-3-methyl-2,5-dioxopyrrolidin-3-yl)methyl (2R,5S,6S)-3,3-dimethyl-7-oxo-6-(2-phenylacetamido)-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylate (11) (1:1 Z/E mixture) Yellowish foamy, MP:73.3- 74.9 °C. **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.46 (tt, J = 6.5, 1.5 Hz, 1H), 7.38 (tt, J = 7.4, 3.1 Hz, 4.25H), 7.36 – 7.30 (m, 5H), 7.28 (ddd, J = 7.3, 5.4, 2.2 Hz, 2.5H), 7.25 (d, J = 2.6 Hz, 0.5H), 7.22 – 7.18 (m, 0.75H), 7.16 – 7.14 (m, 0.5H), 7.12 (d, J = 7.5 Hz, 0.5H), 5.99 (dd, J = 9.6, 3.6 Hz, 1H), 5.61 (dd, J = 9.1, 4.3 Hz, 0.25H), 5.54 (dd, J = 9.1, 4.3 Hz, 0.25H), 5.46 (dd, J = 9.0, 4.3 Hz, 0.25H), 5.35 (dd, J = 9.1, 4.3 Hz, 0.25H), 5.30 (d, J = 11.1 Hz, 0.25H), 5.18 (dd, J = 7.7, 3.4 Hz, 0.5H), 5.15 (dd, J = 4.2, 1.4 Hz, 0.5H), 5.02 (d, J = 4.3 Hz, 0.25H), 4.84 – 4.65 (m, 1.5H), 4.60 (d, J = 4.0 Hz, 0.25H), 4.55 (d, J = 14.0 Hz, 0.25H), 4.23 (dd, J = 16.2, 10.0 Hz, 1H), 4.10 (dd, J = 10.9, 2.4 Hz, 0.5H), 4.06 (s, 0.25H), 3.97 (s, 0.25H), 3.71 (s, 0.5H), 3.66 (s, 0.5H), 3.65 – 3.62 (m, 1.5H), 1.66 (s, 0.75H), 1.64 (s, 0.75H), 1.32 (s, 0.75H), 1.30 (s, 0.75H), 1.25 (s, 1.5H), 1.16 (s, 1.5H), 1.14 – 1.02 (m, 3H). **^{13}C NMR (150 MHz, $CDCl_3$)** δ 177.3, 177.2, 175.7, 175.7, 173.4, 173.3, 173.2, 170.1, 170.0, 166.6, 166.6, 166.4, 166.3, 166.3, 164.2, 164.2, 144.5, 144.4, 143.3, 143.2, 135.4, 135.4, 135.2, 135.2, 134.6, 134.1, 133.8, 133.8, 133.5, 133.1, 129.7, 129.6, 129.5, 129.5, 129.4, 129.3, 129.2, 129.2, 129.2, 129.0, 129.0, 128.9, 128.9, 128.8, 128.4, 128.4, 128.3, 128.3, 128.2, 128.2, 127.7, 127.7, 126.7, 126.5, 116.8, 116.2, 107.2, 107.0, 70.1, 70.1, 70.0, 69.9, 68.1, 67.9, 67.9, 67.2, 66.2, 65.6, 64.0, 64.0, 63.9, 63.9, 59.0, 59.0, 59.0, 58.7, 49.8, 49.7, 49.5, 49.5, 43.4, 43.4, 43.4, 42.7, 42.7, 41.0, 32.2, 32.2, 32.1, 31.6, 26.9, 26.5, 26.5, 26.4, 20.3, 19.5, 17.8, 17.2. **HRMS** m/z (ESI$^+$) calcd for$C_{36}H_{34}IN3NaO_6S$ $[M+Na]^+$:786.1105; found:786.1110.

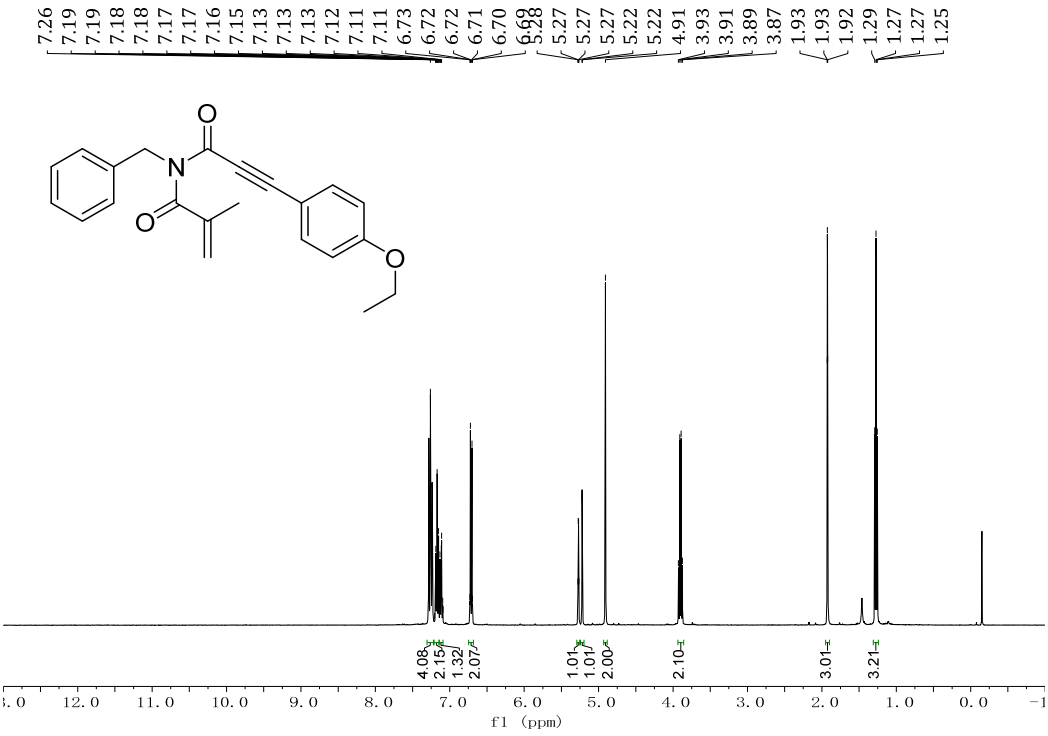
3）NMR spectra

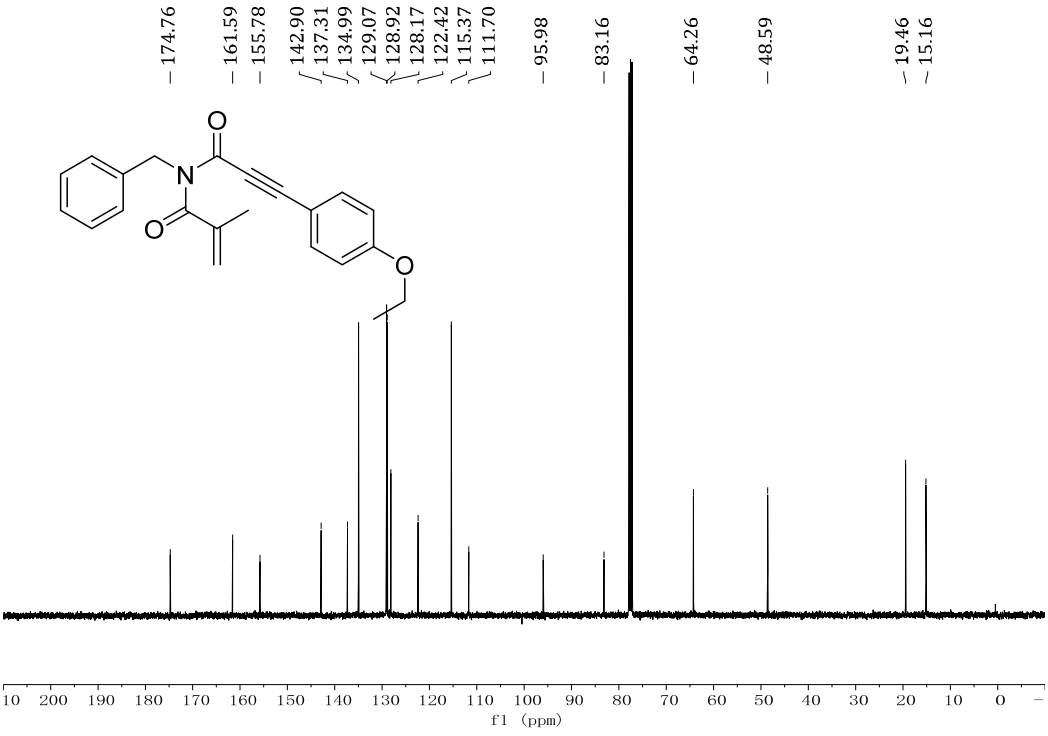
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1aa**



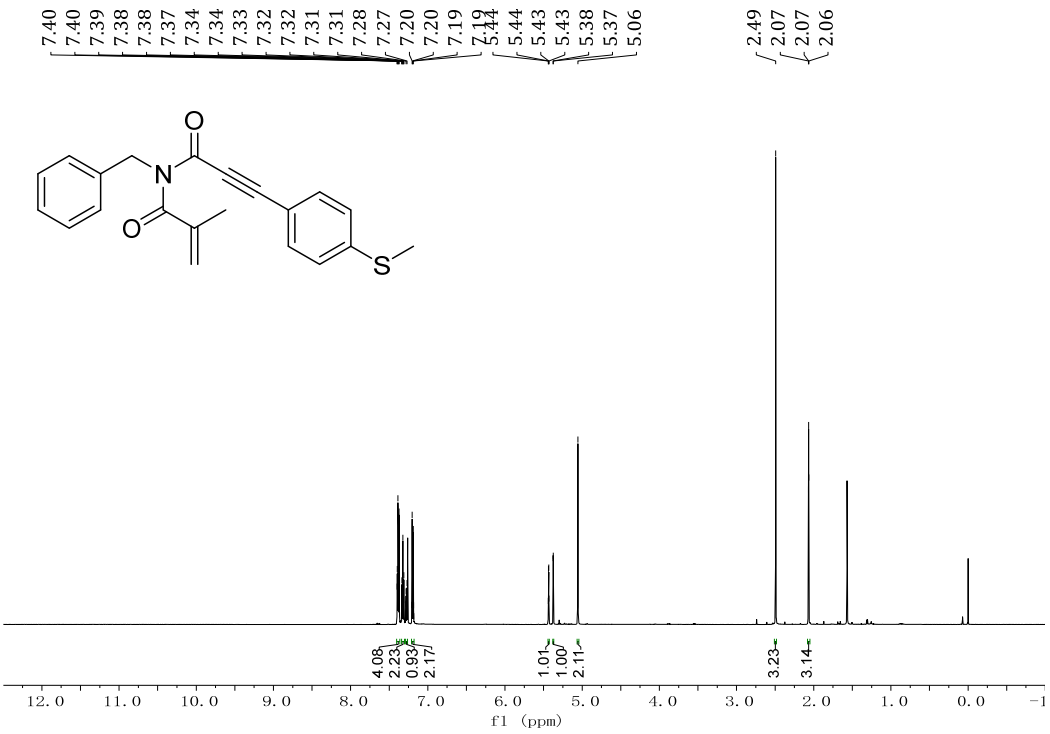


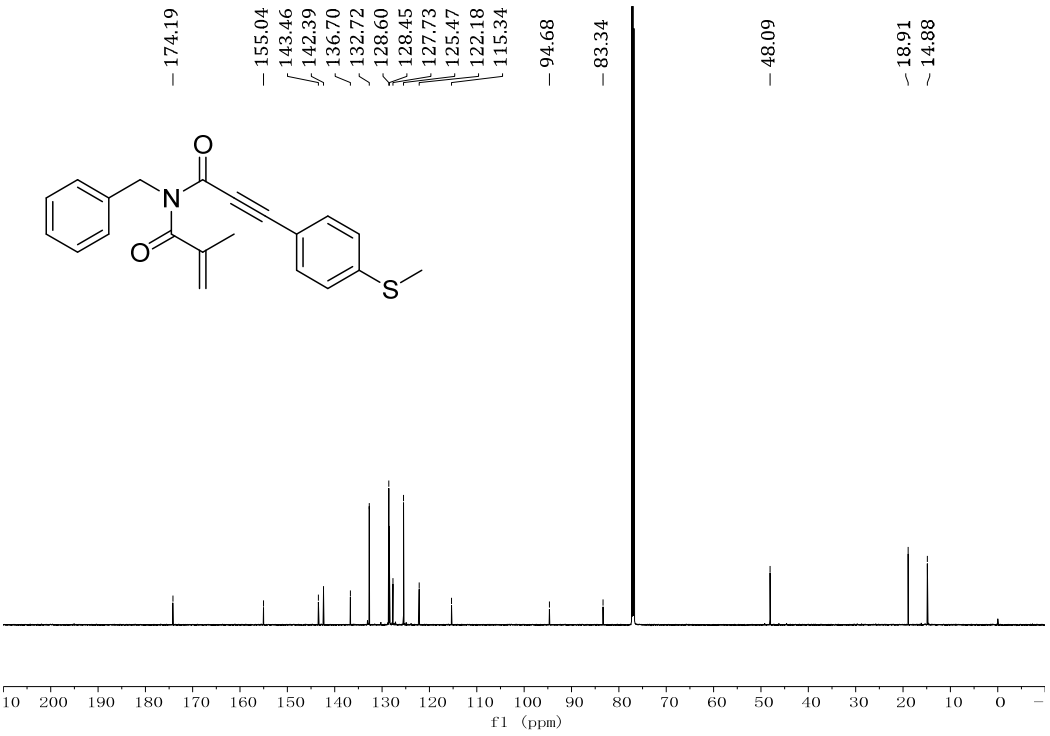
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1ab**



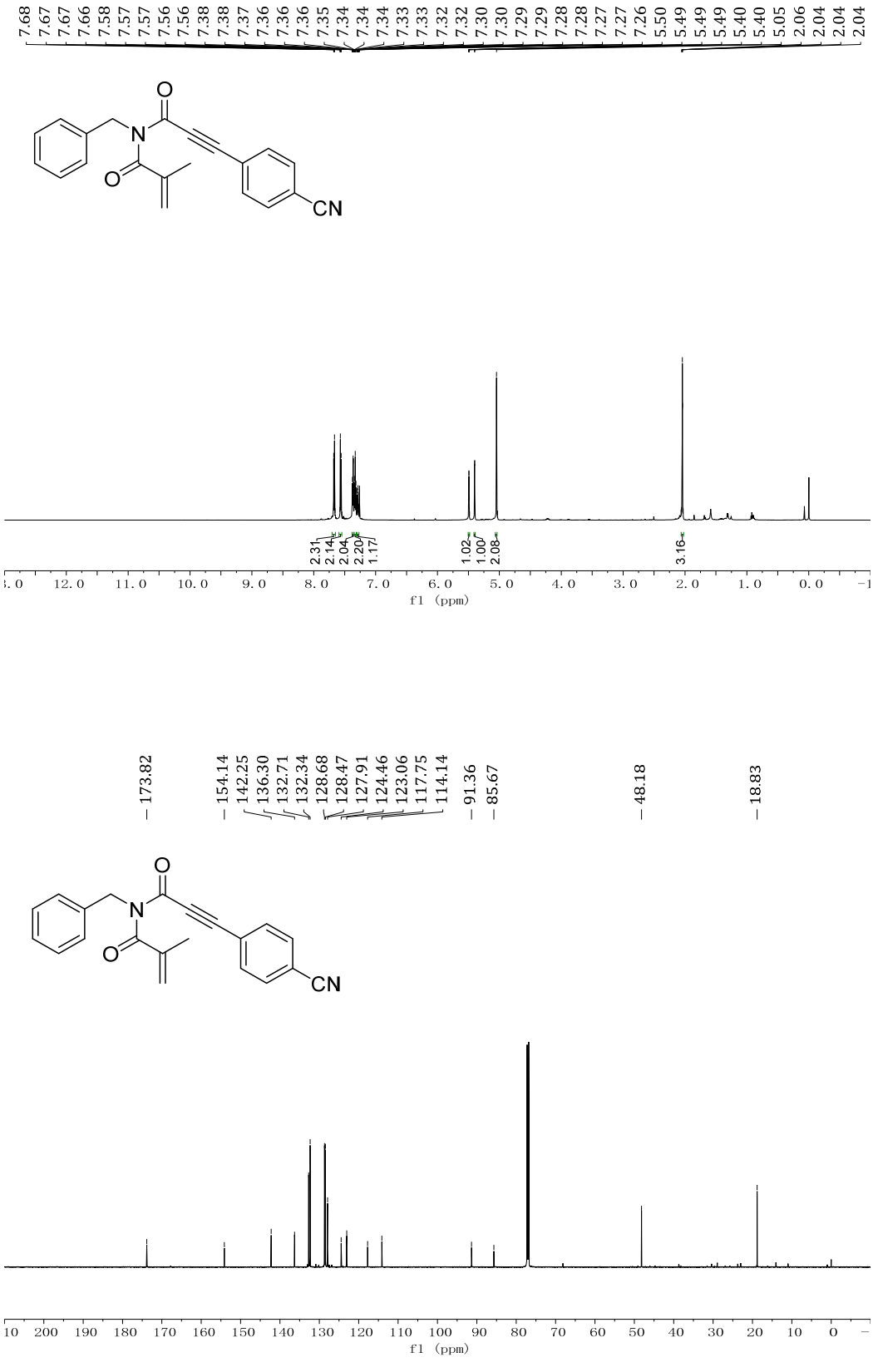


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ac**

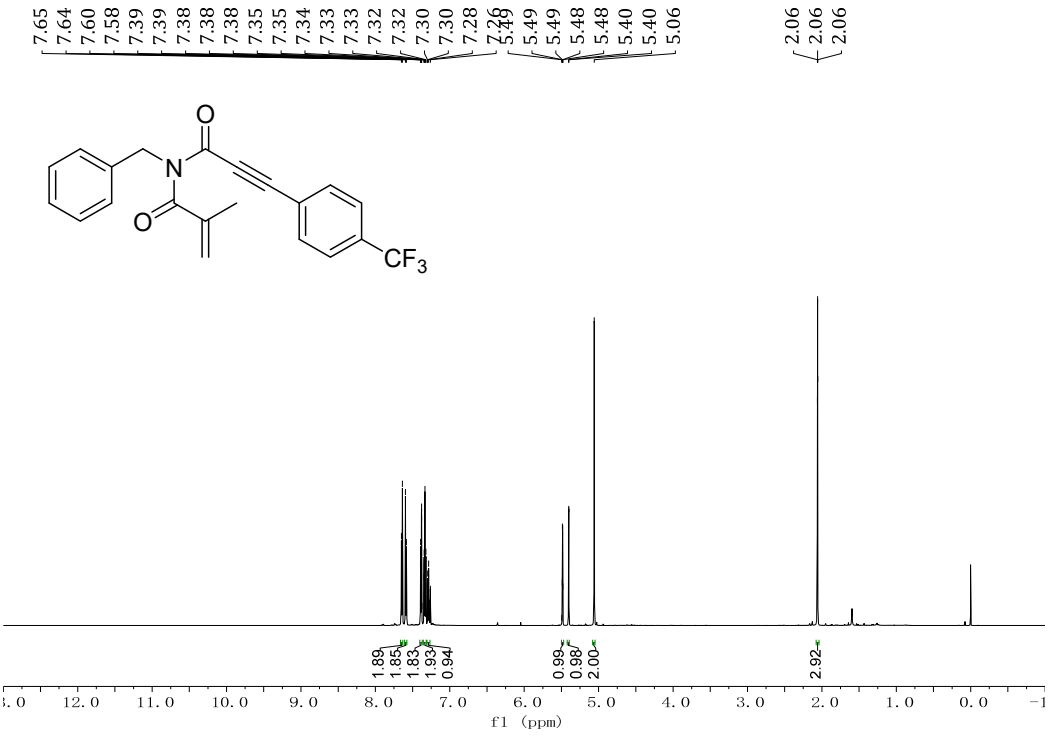


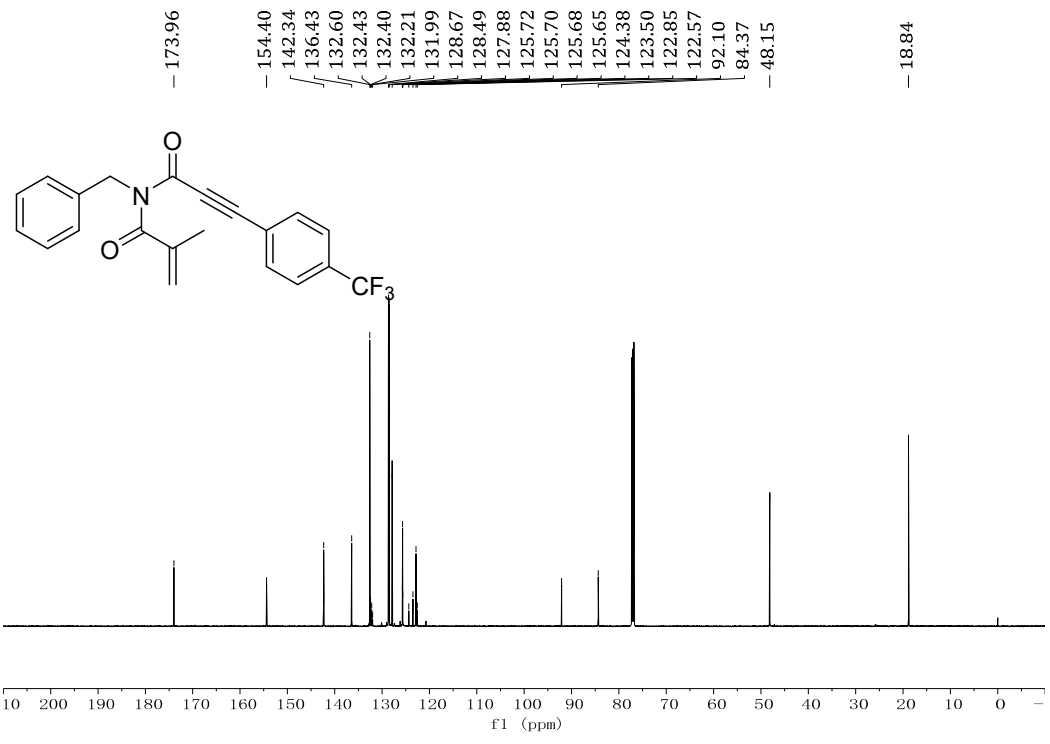


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1ad**

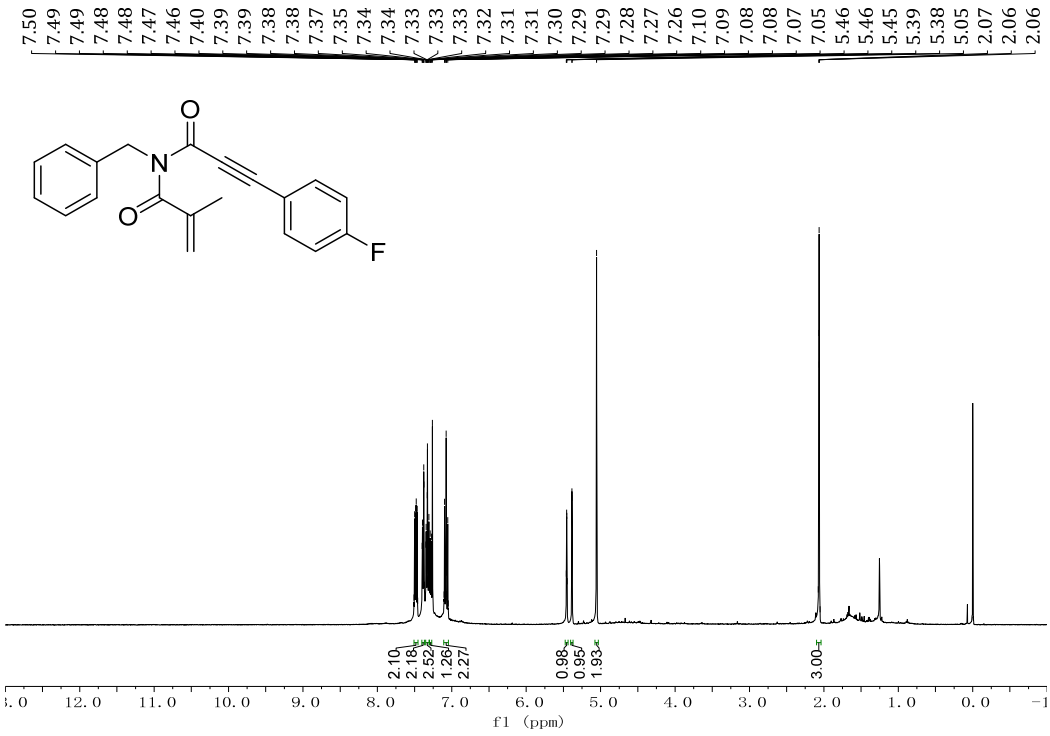


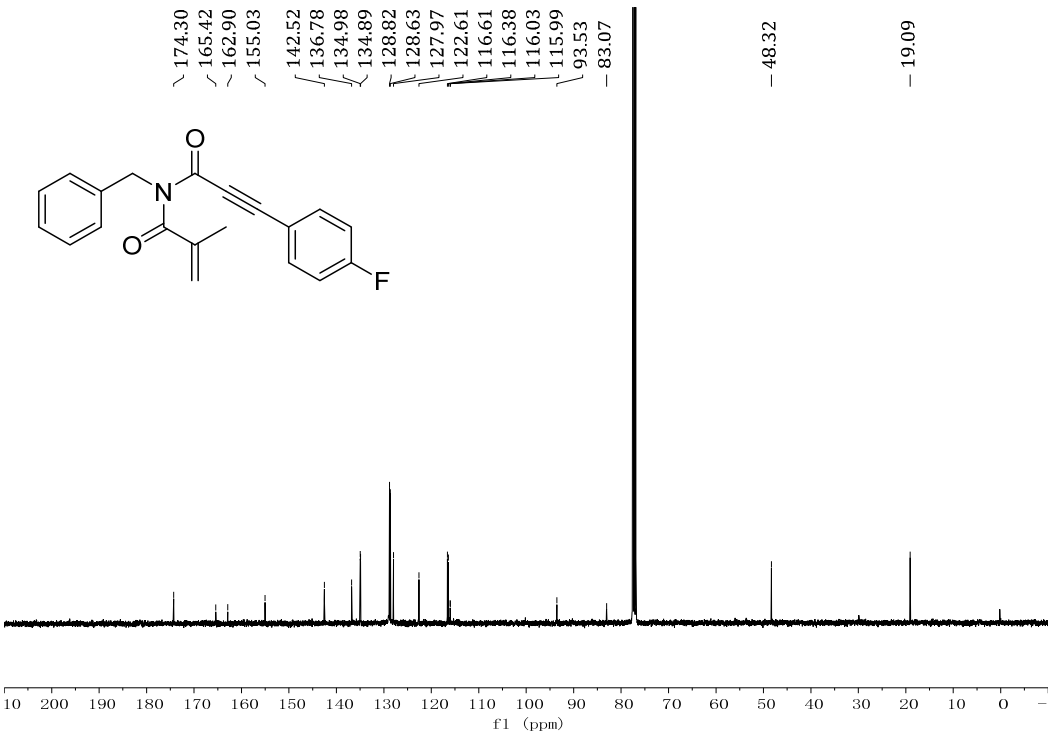
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1ae**



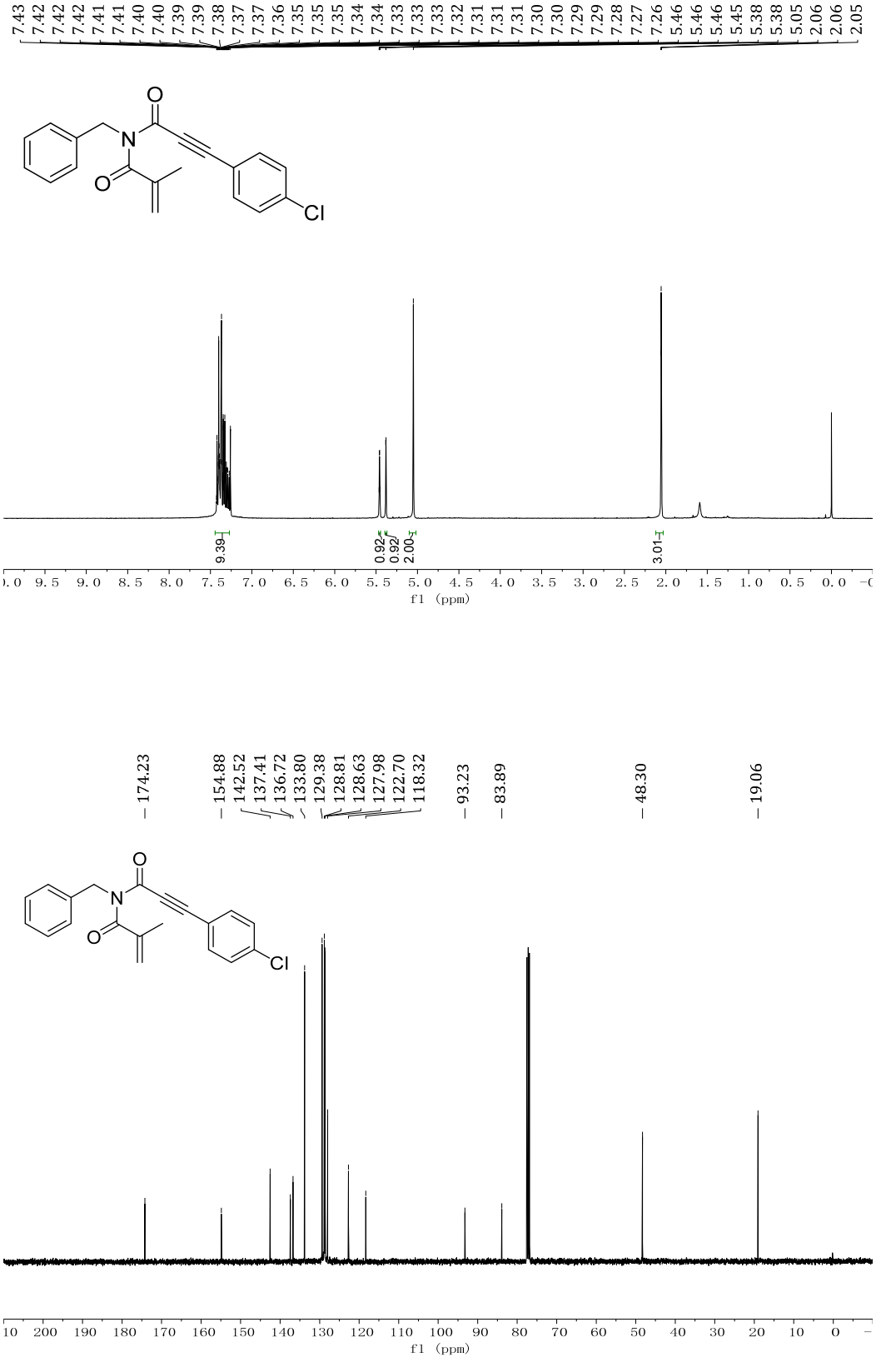


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1af**

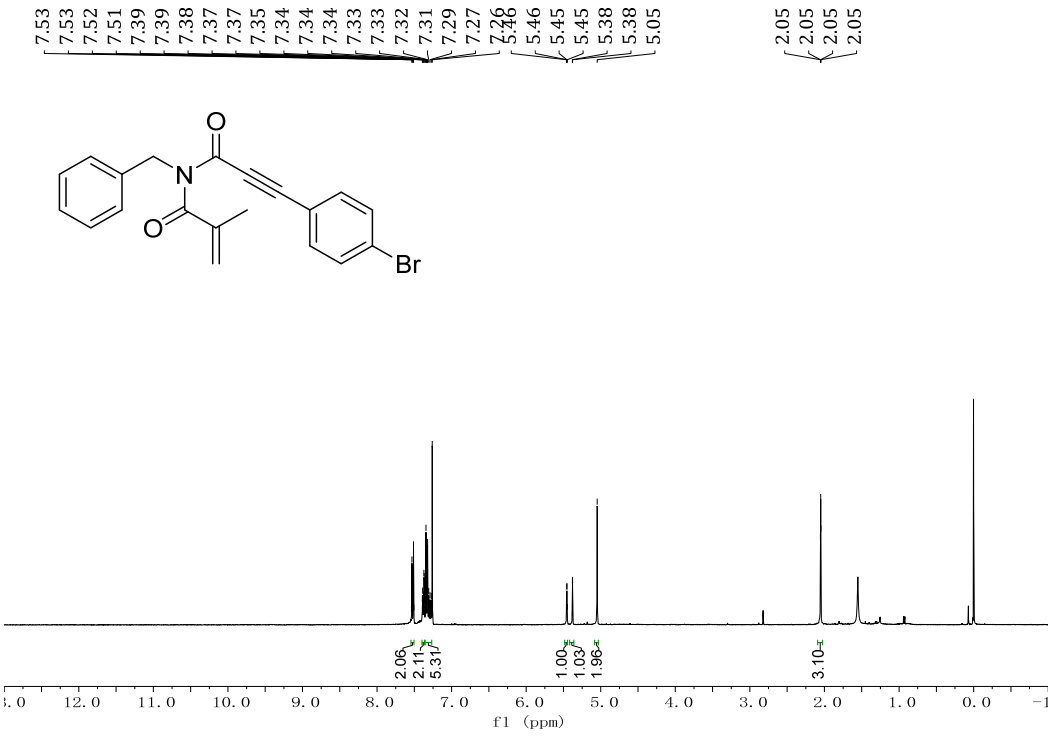


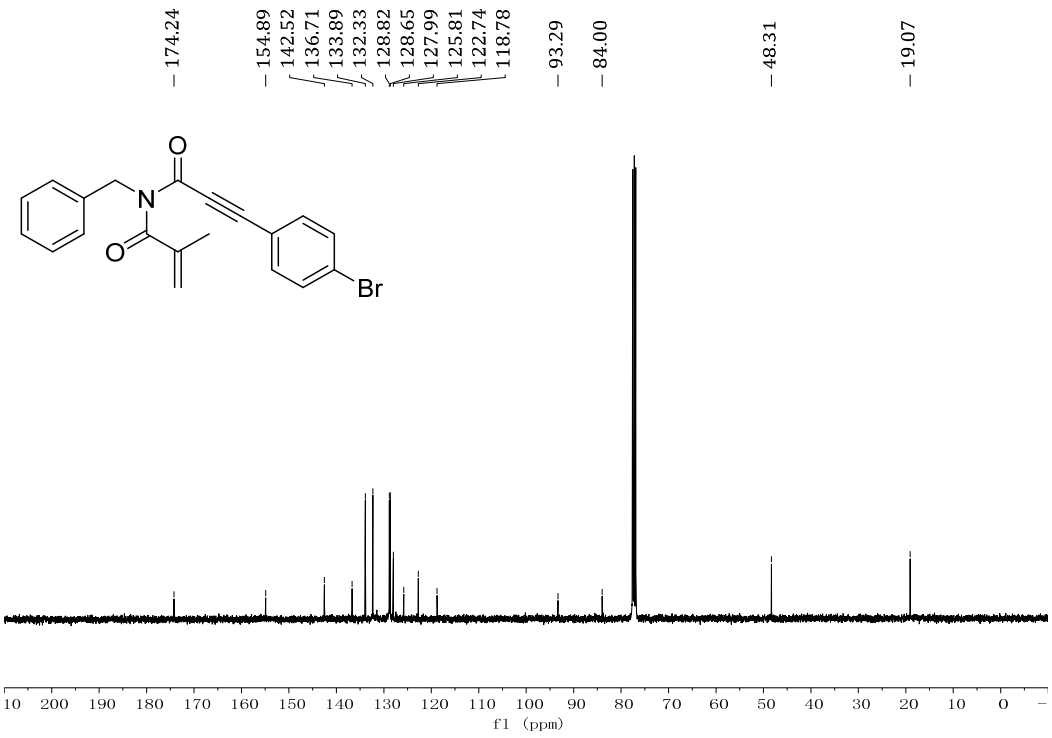


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1ag**

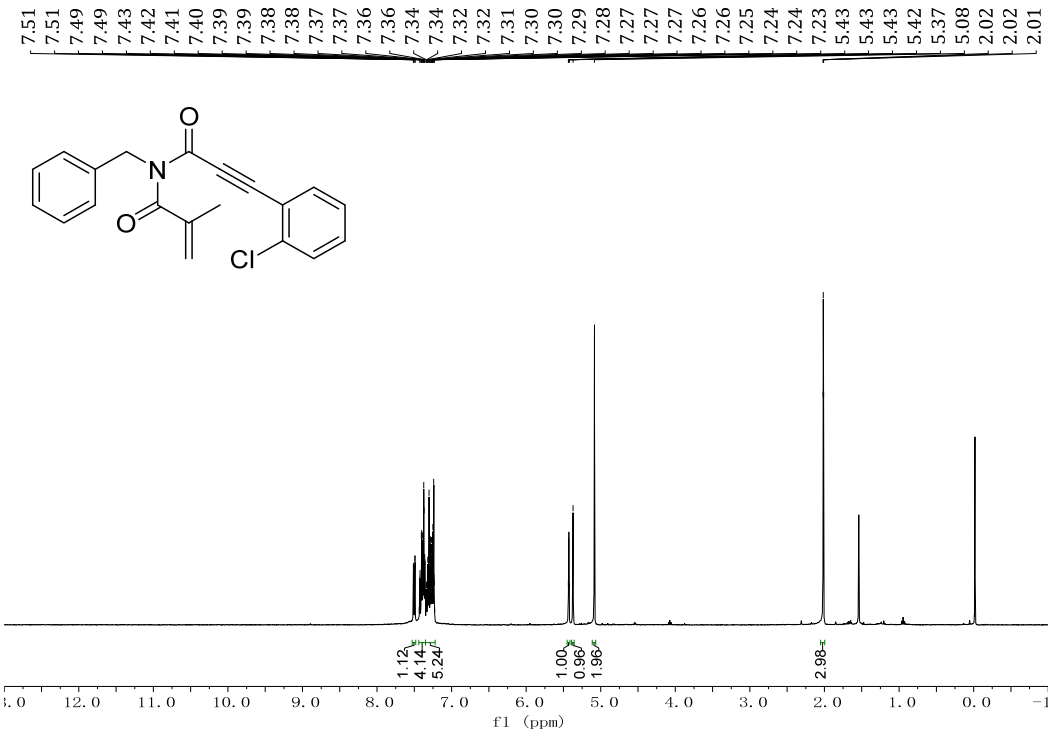


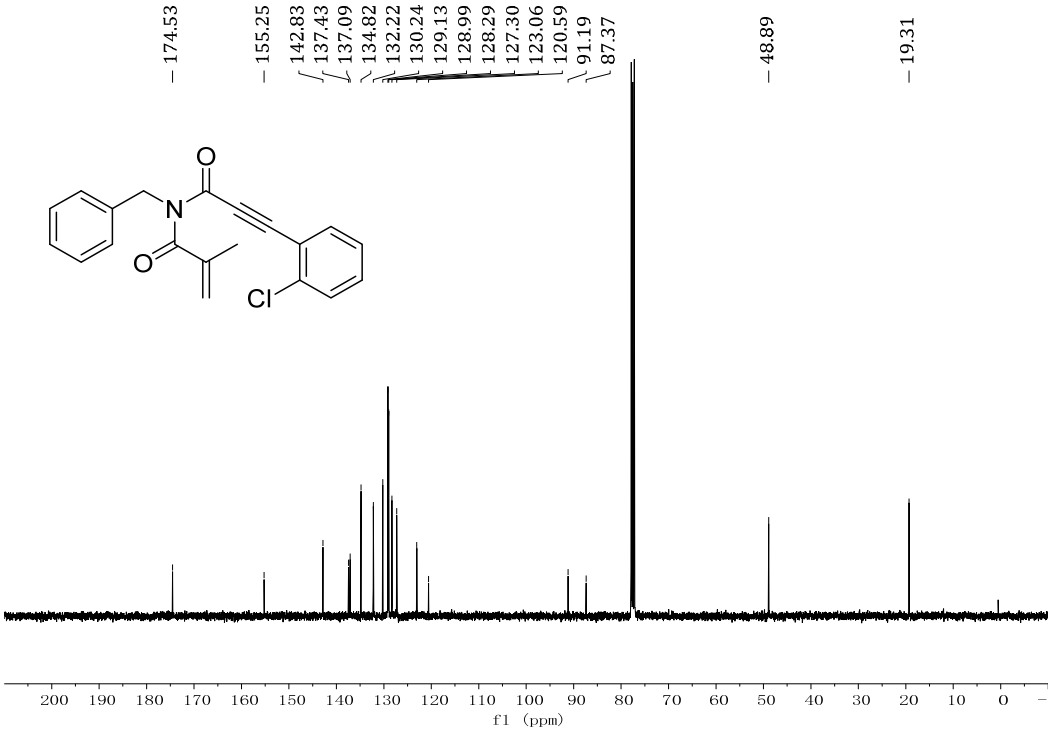
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1ah**



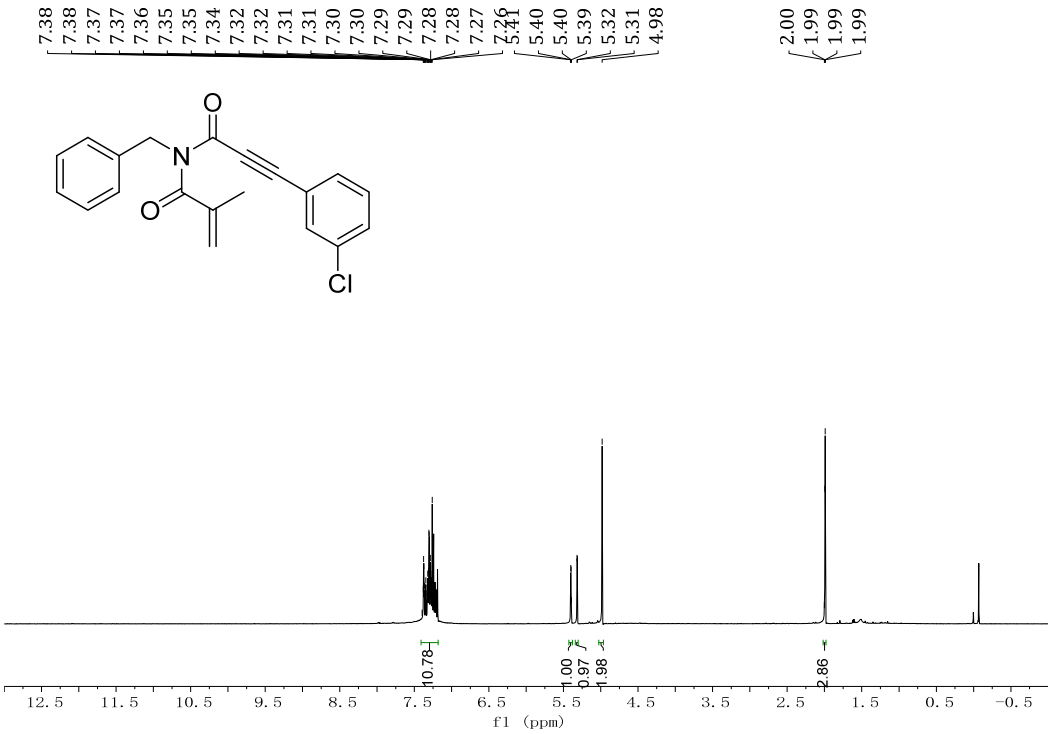


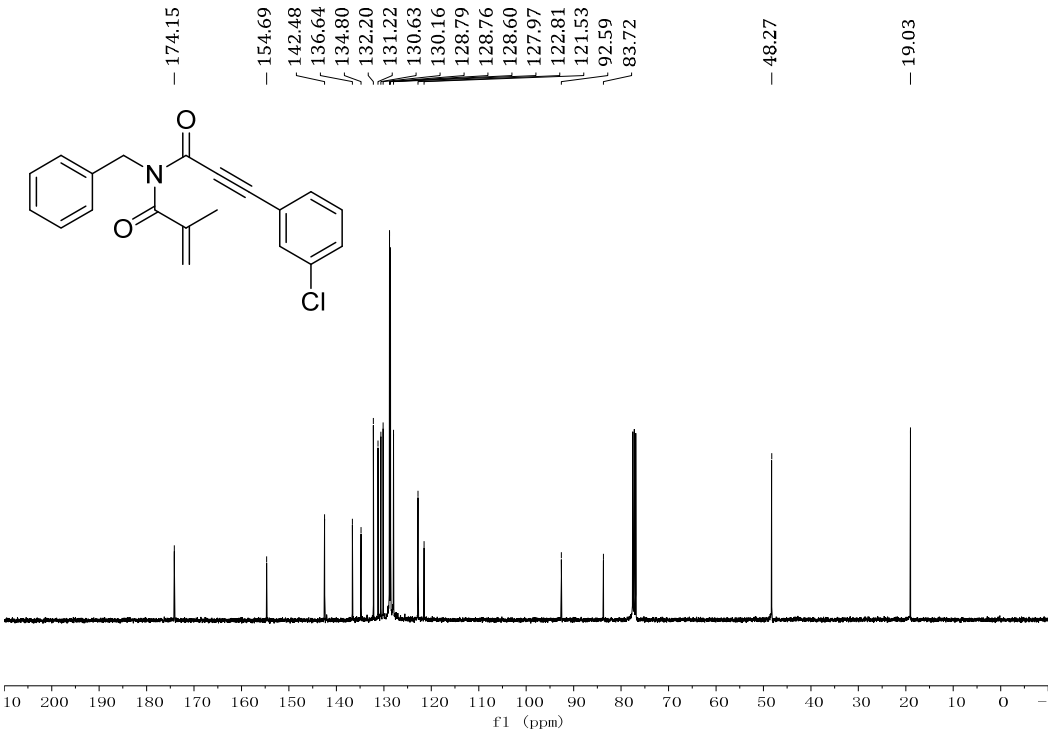
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1ai**



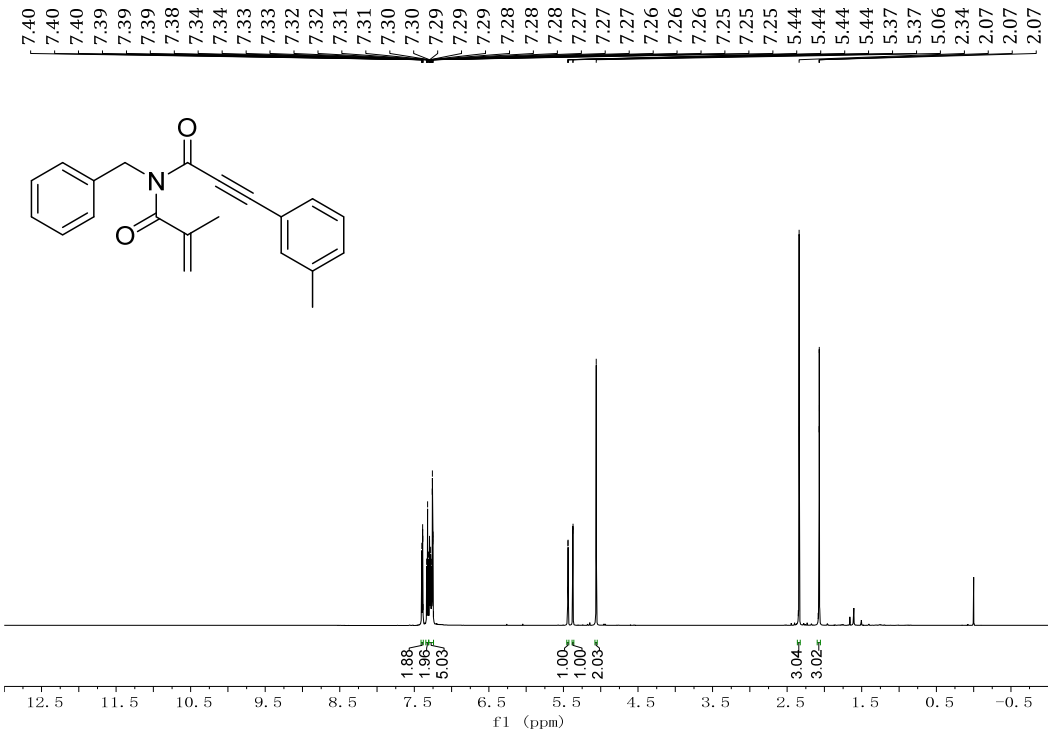


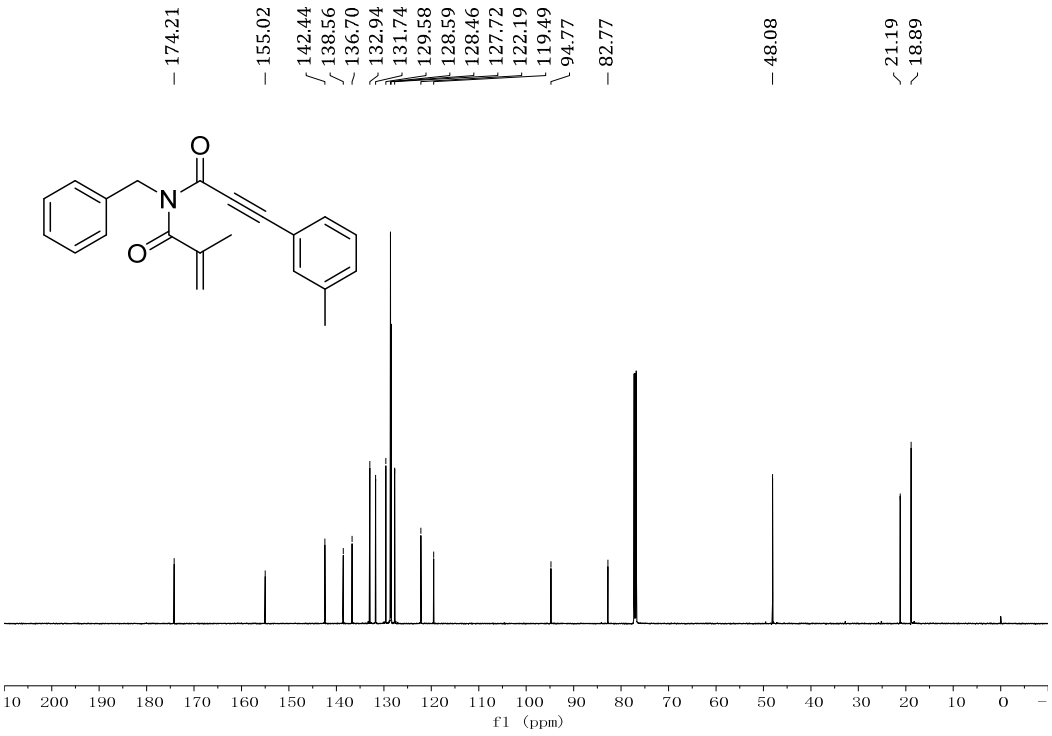
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1aj**



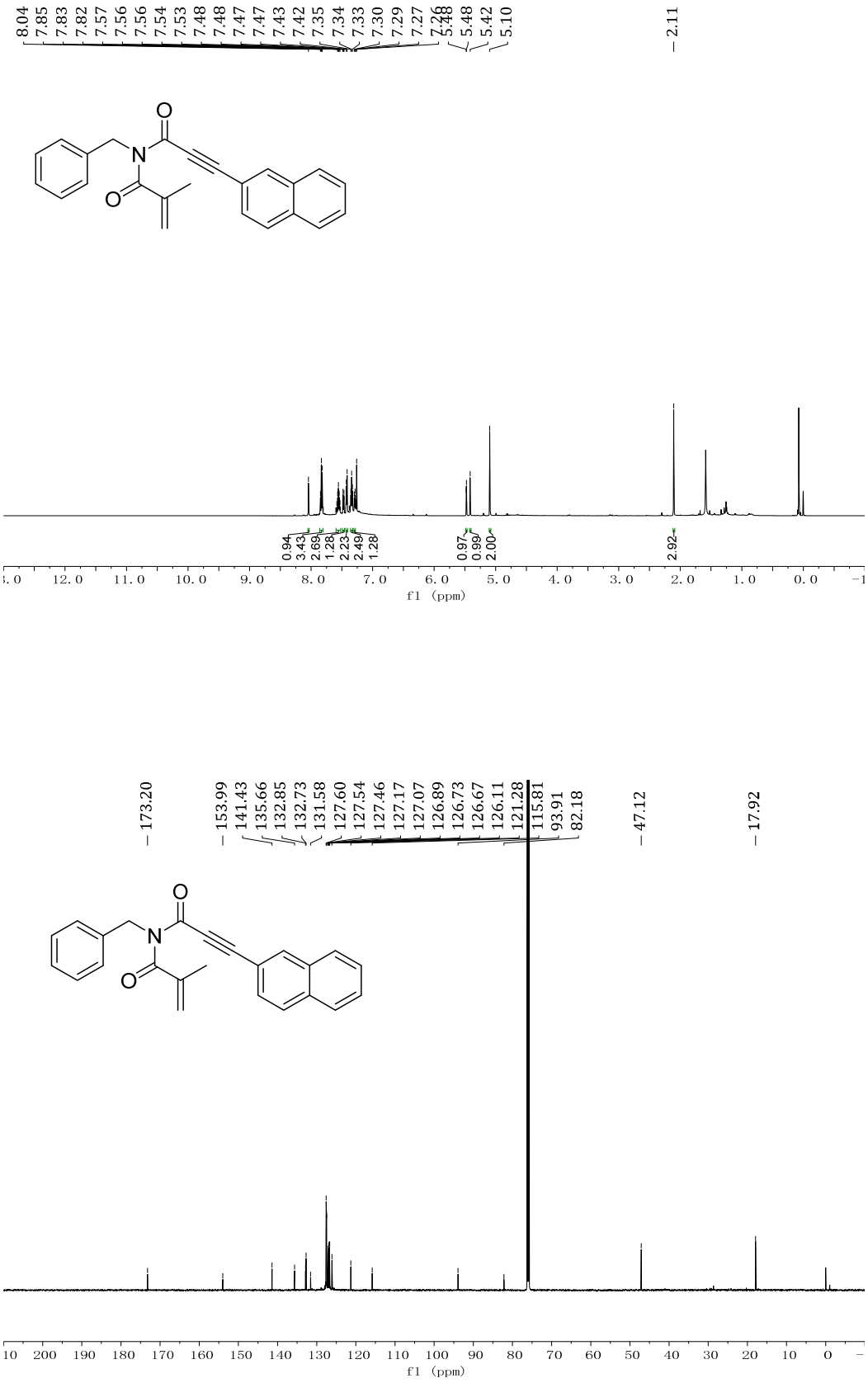


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1ak**

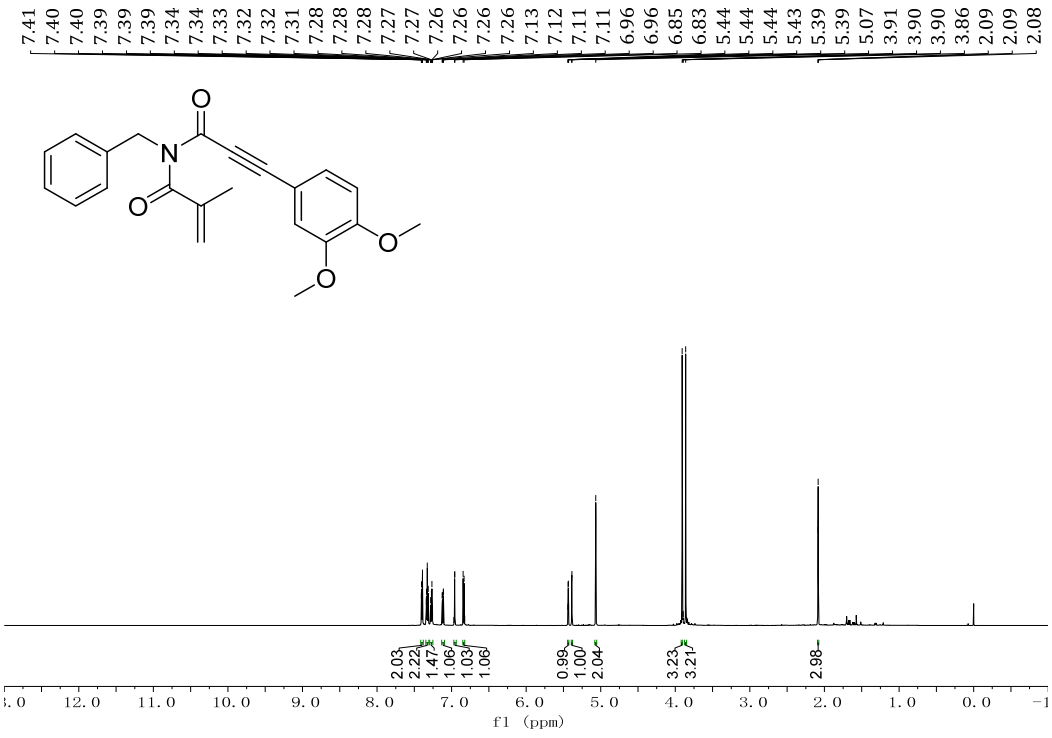


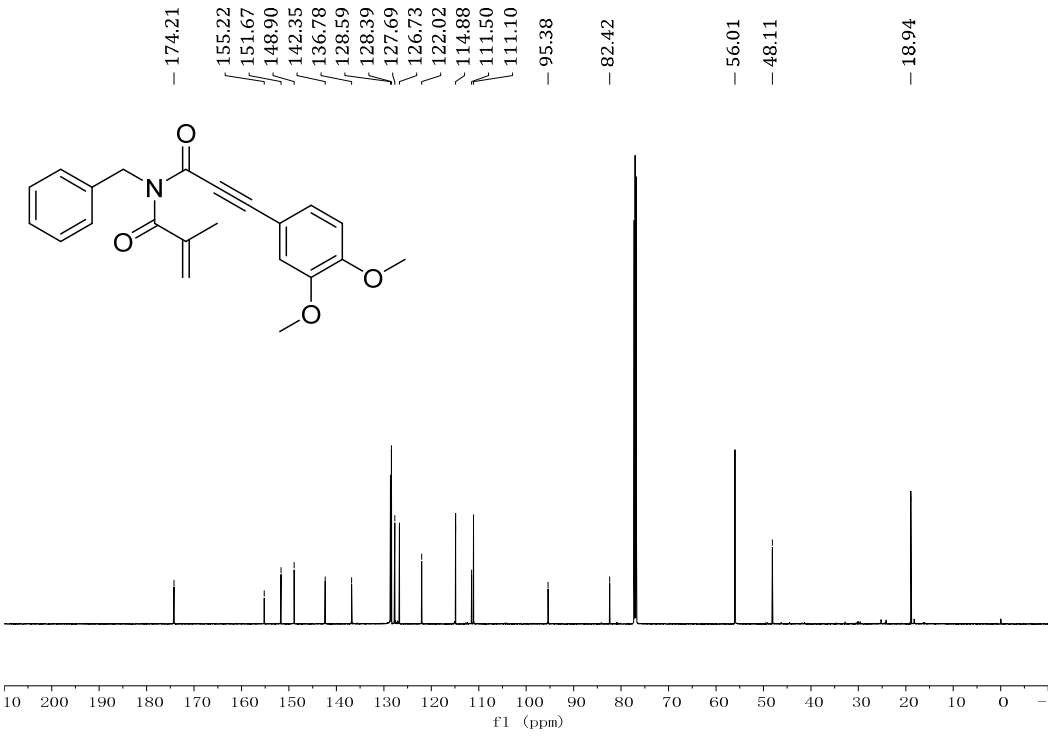


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1al**

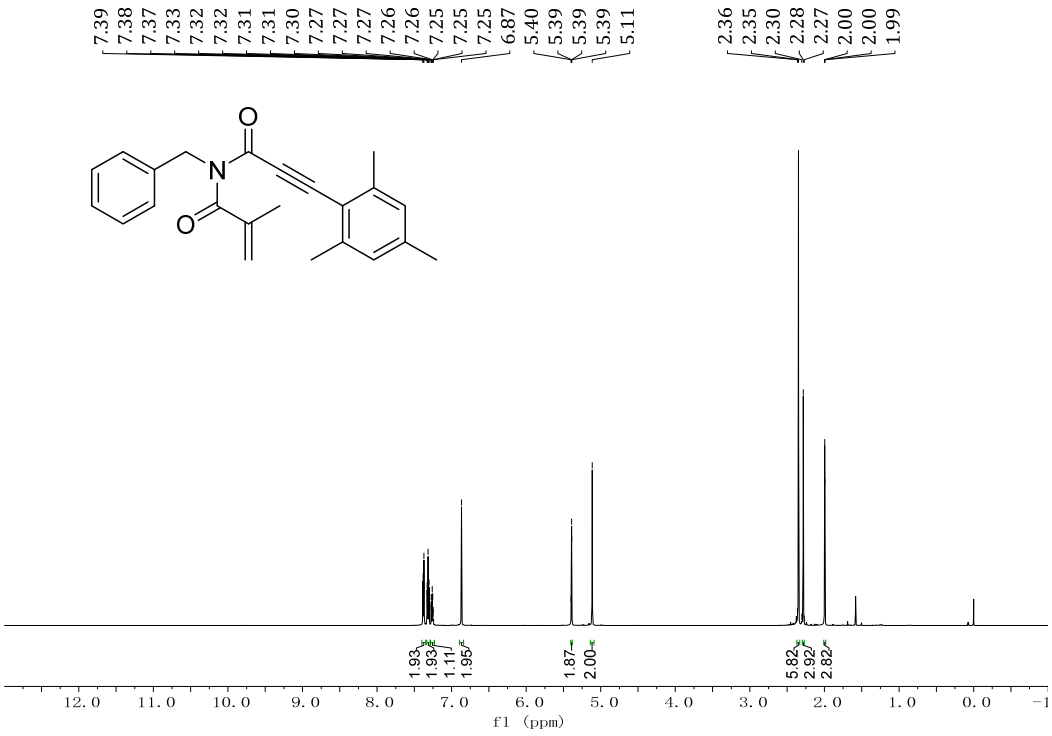


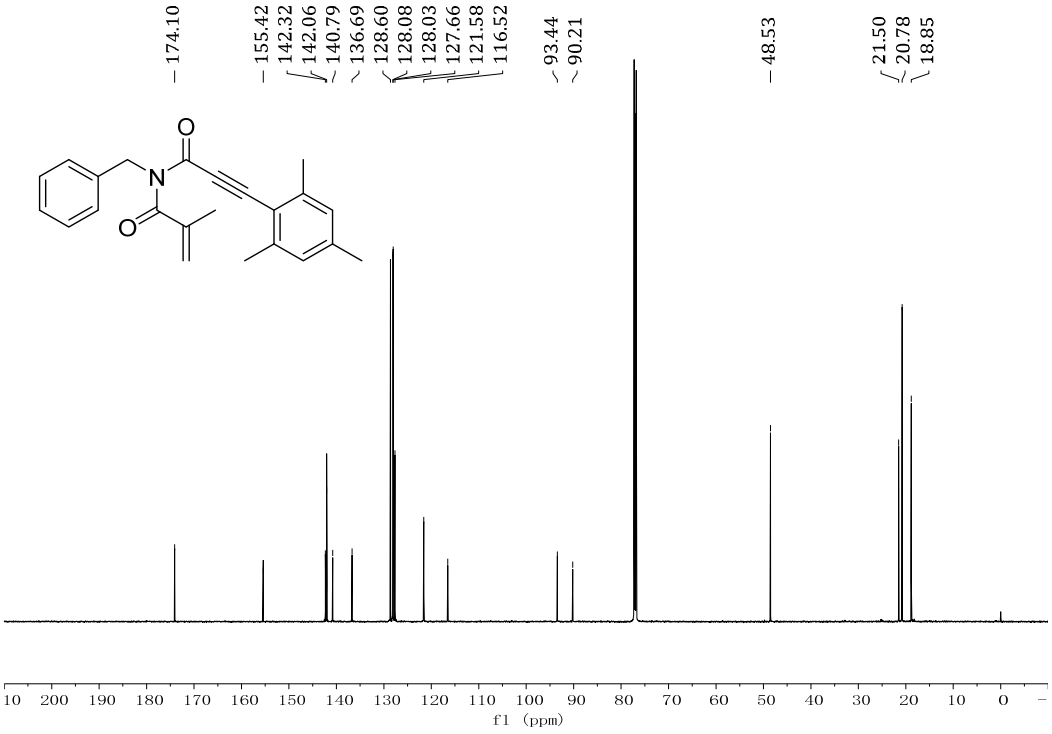
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1am**



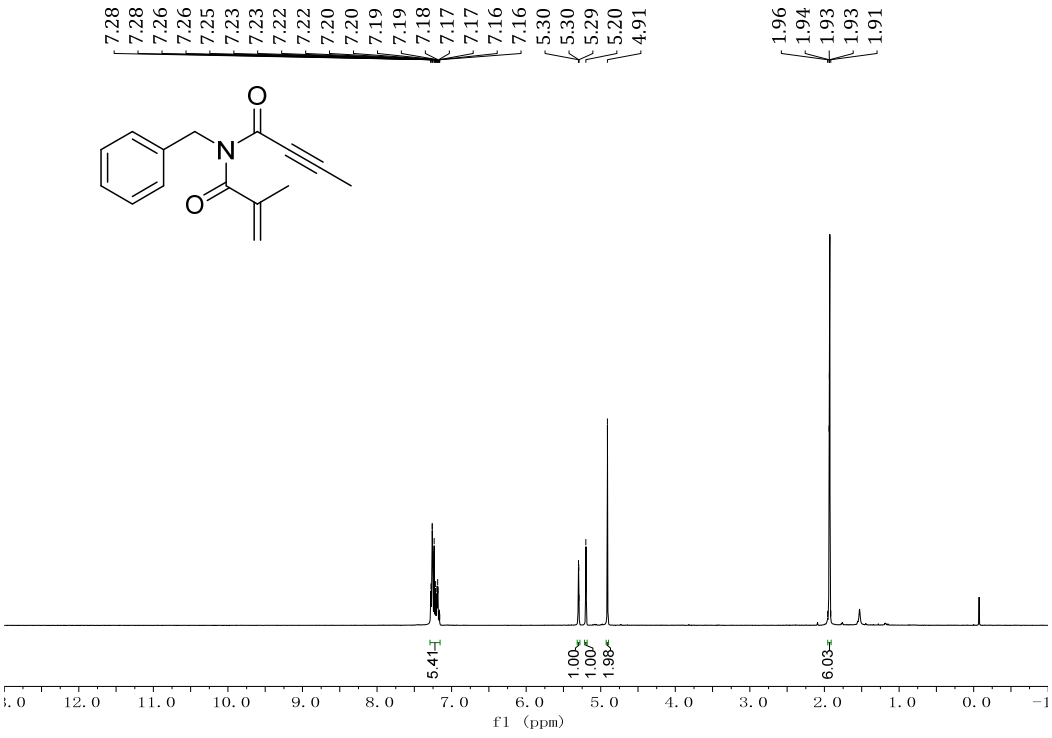


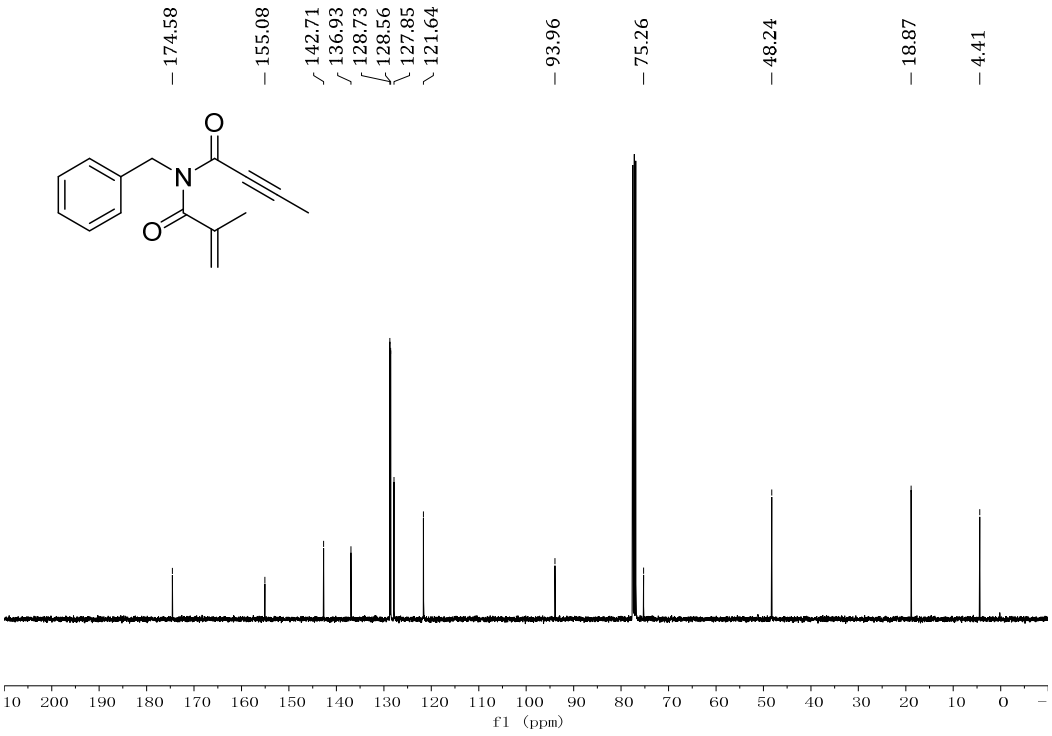
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1an**



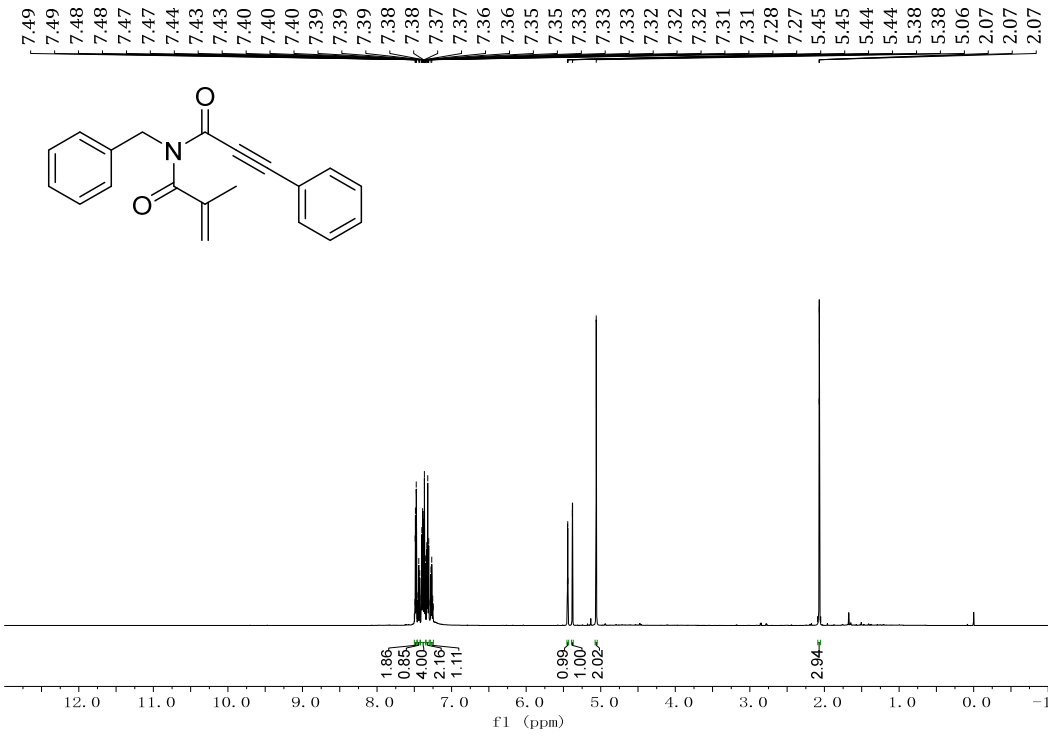


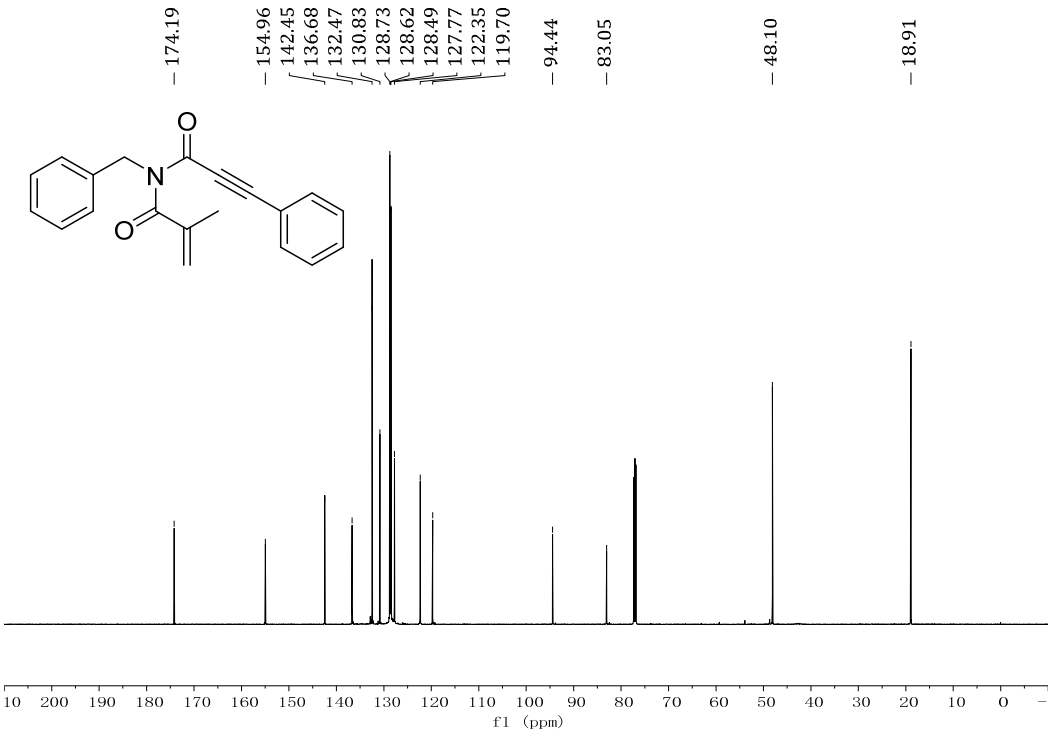
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1ao**



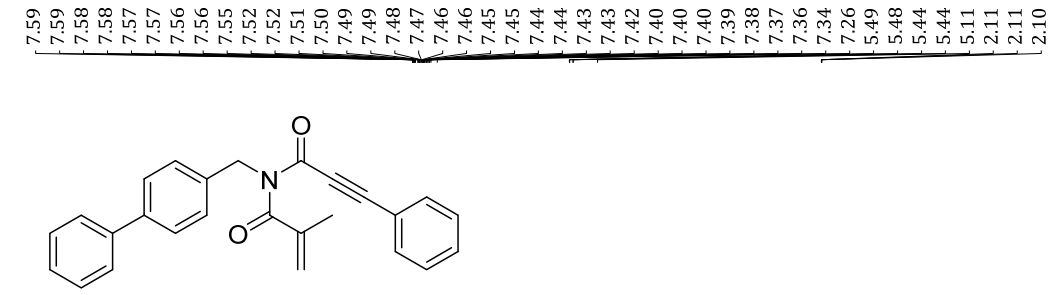


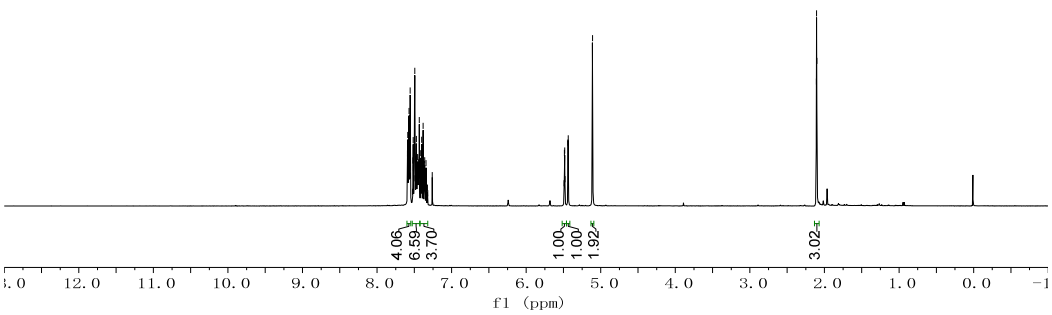
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1ba**

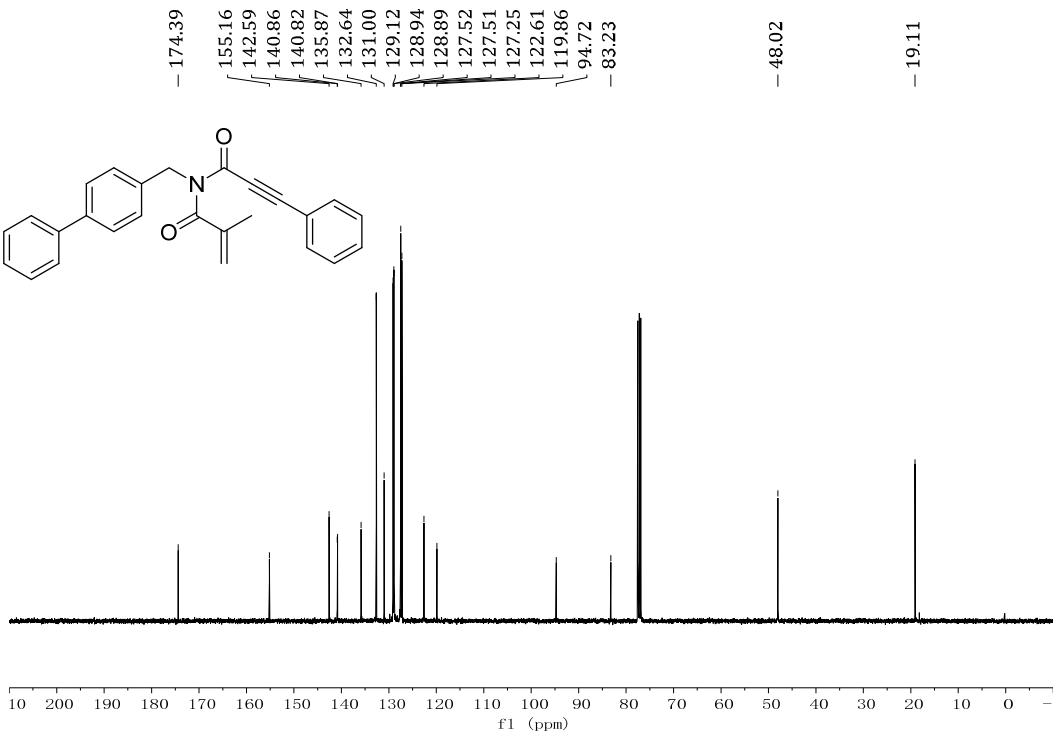




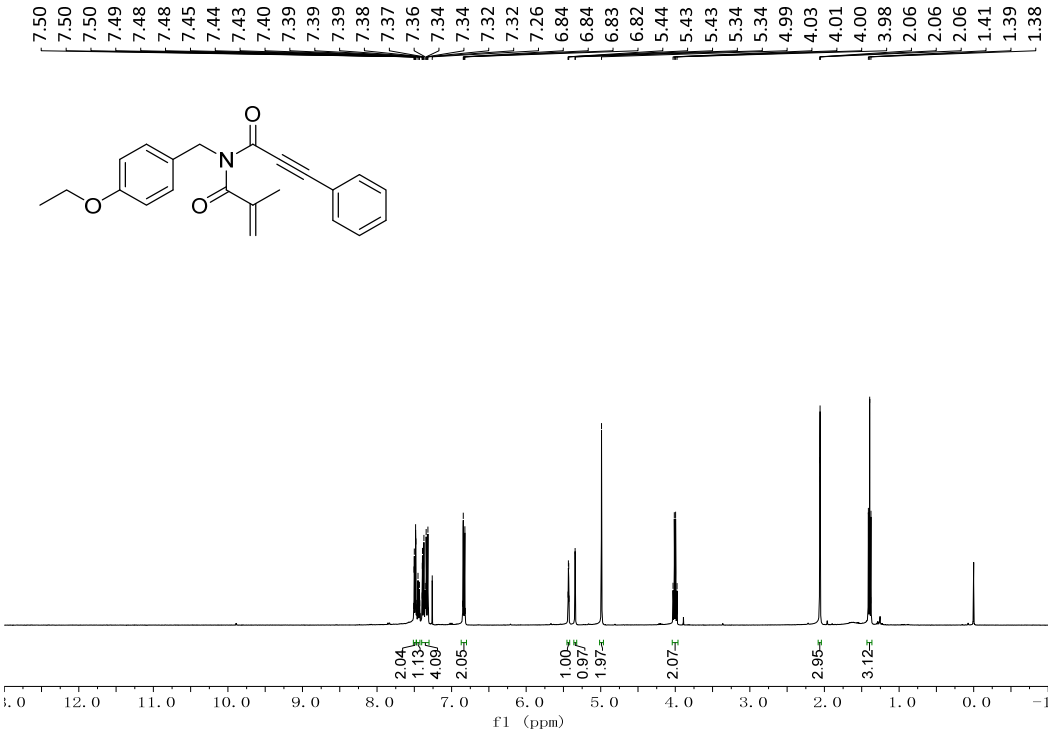
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1bb**

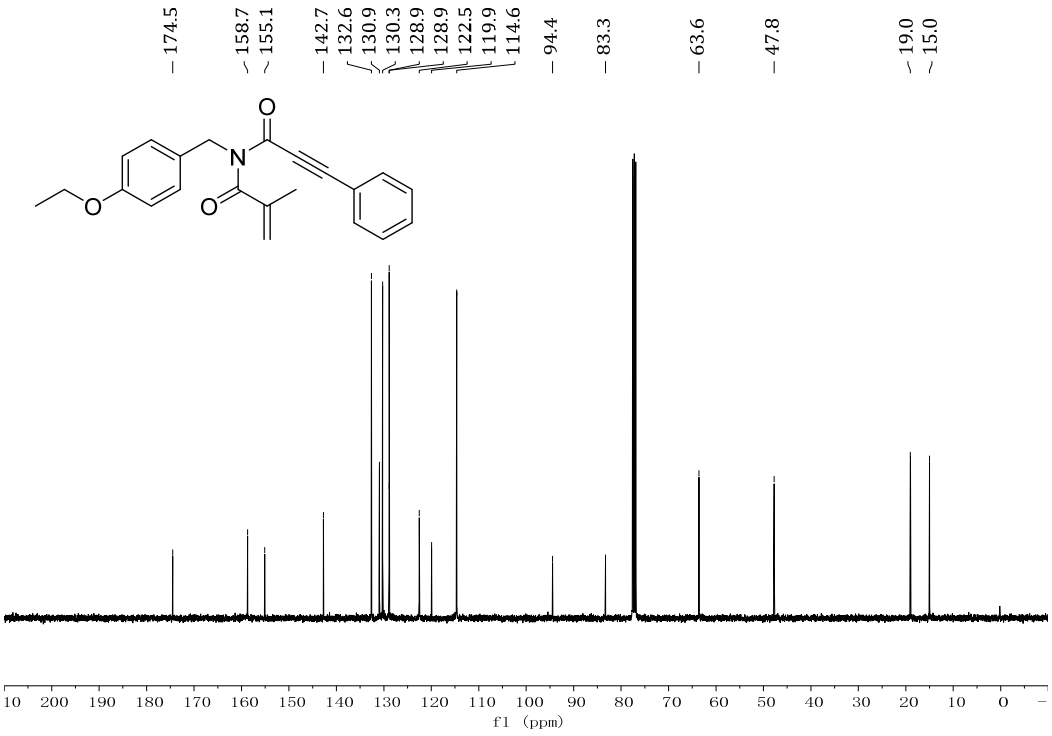




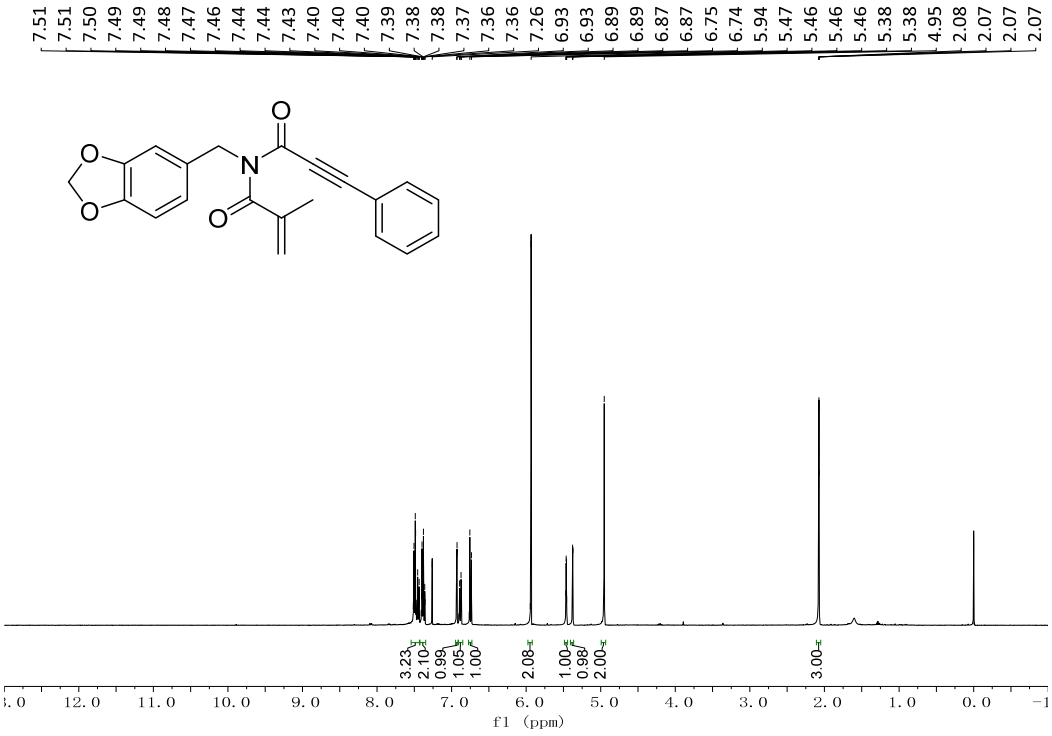


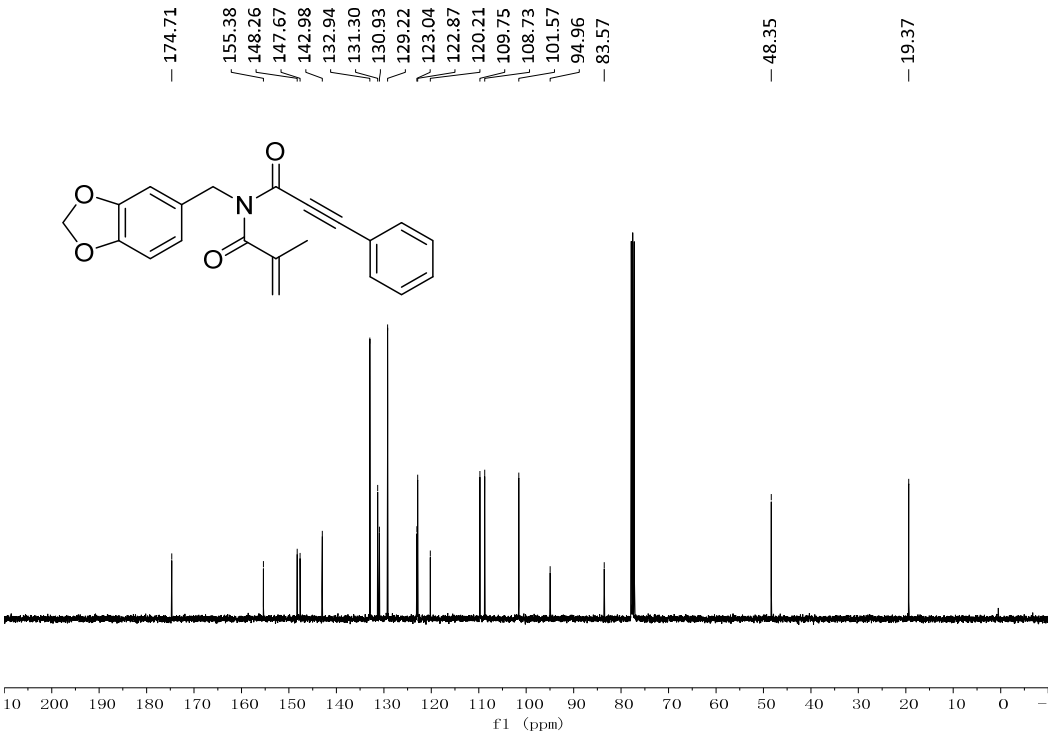
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1bc**



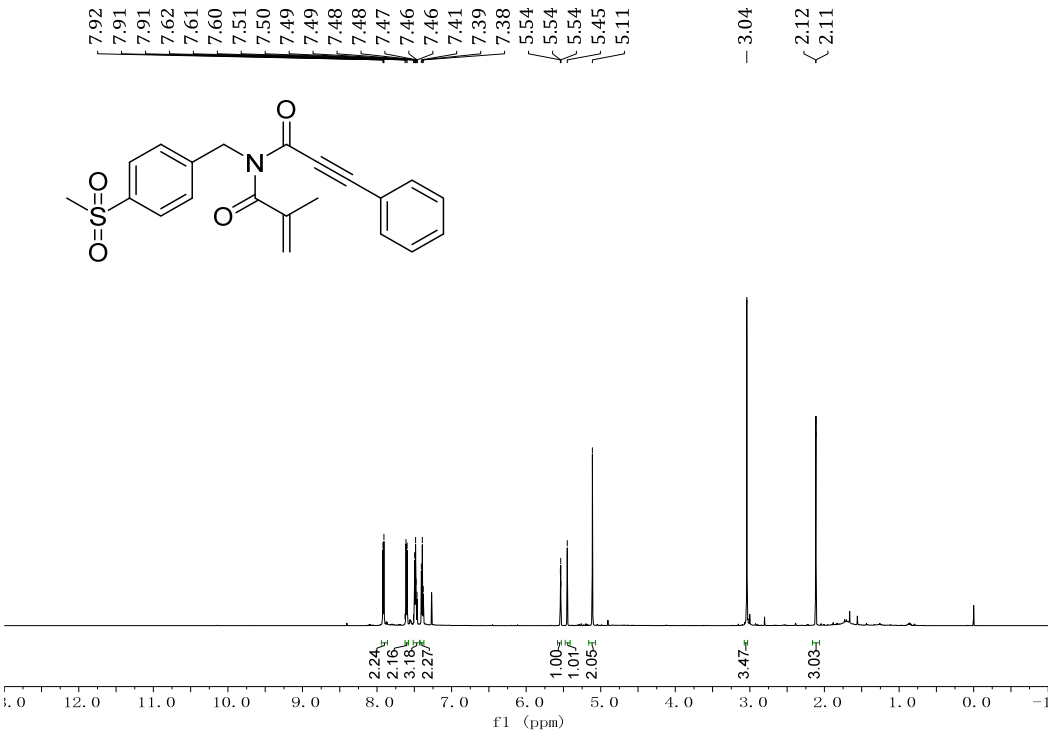


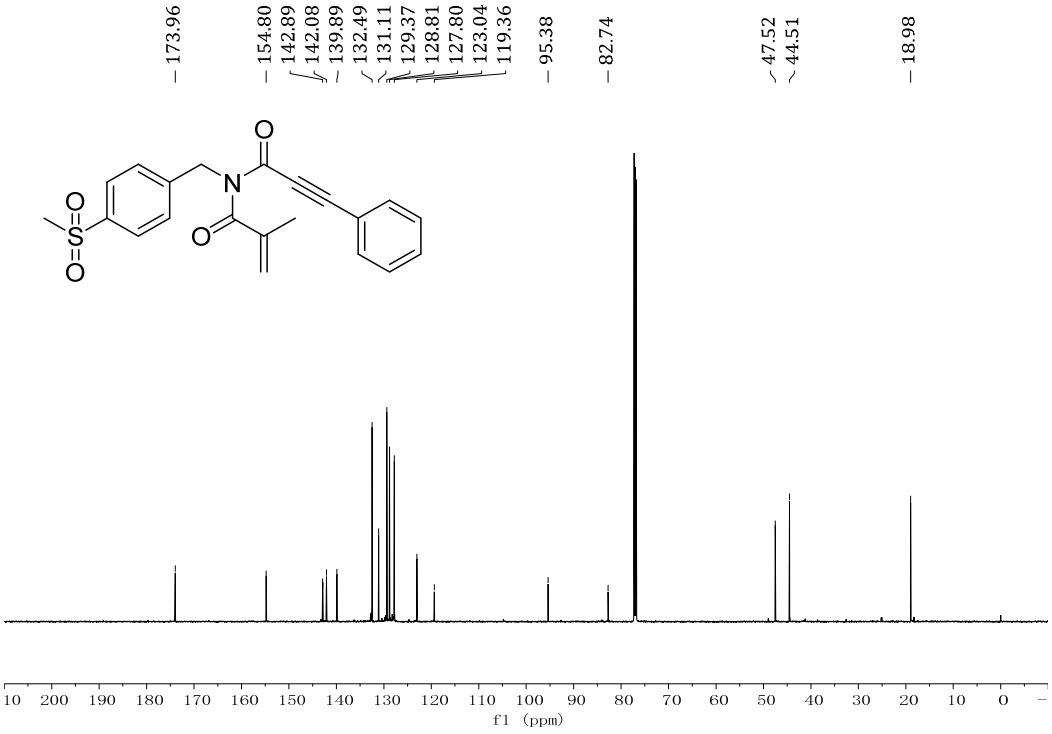
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **1bd**



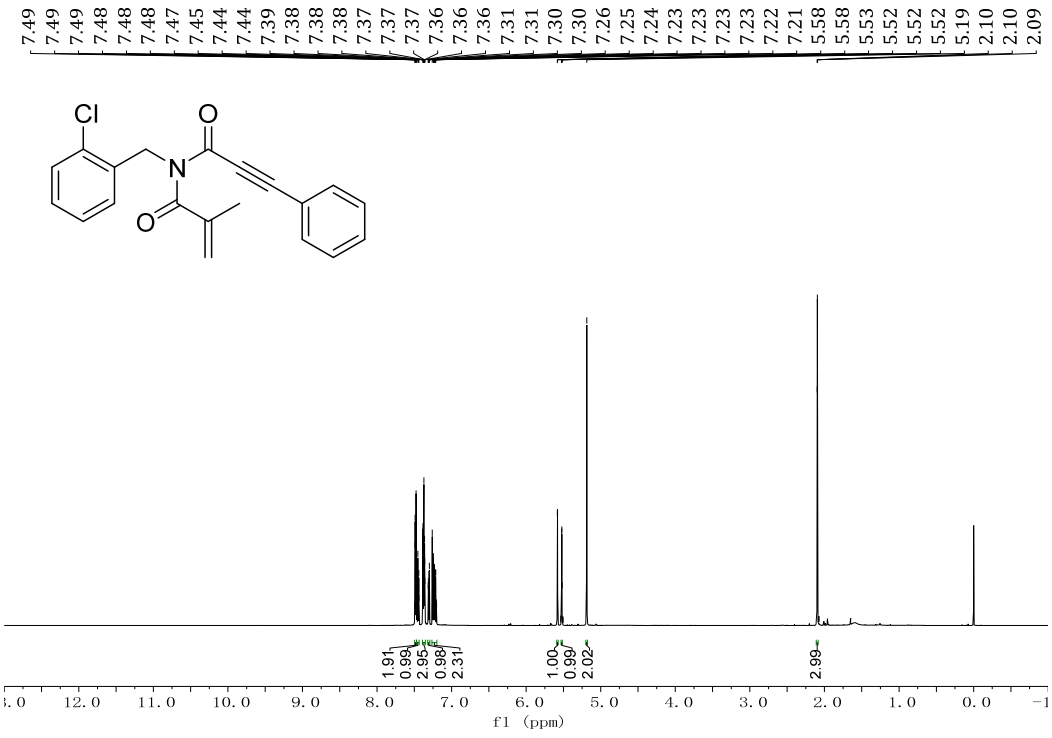


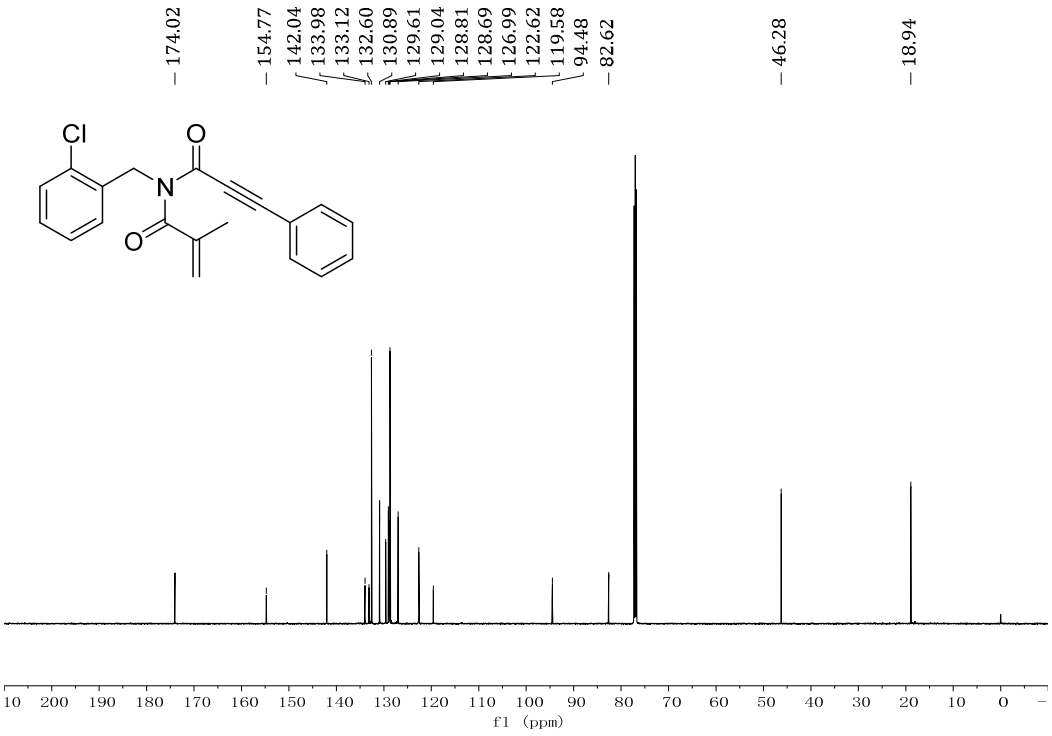
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1be**



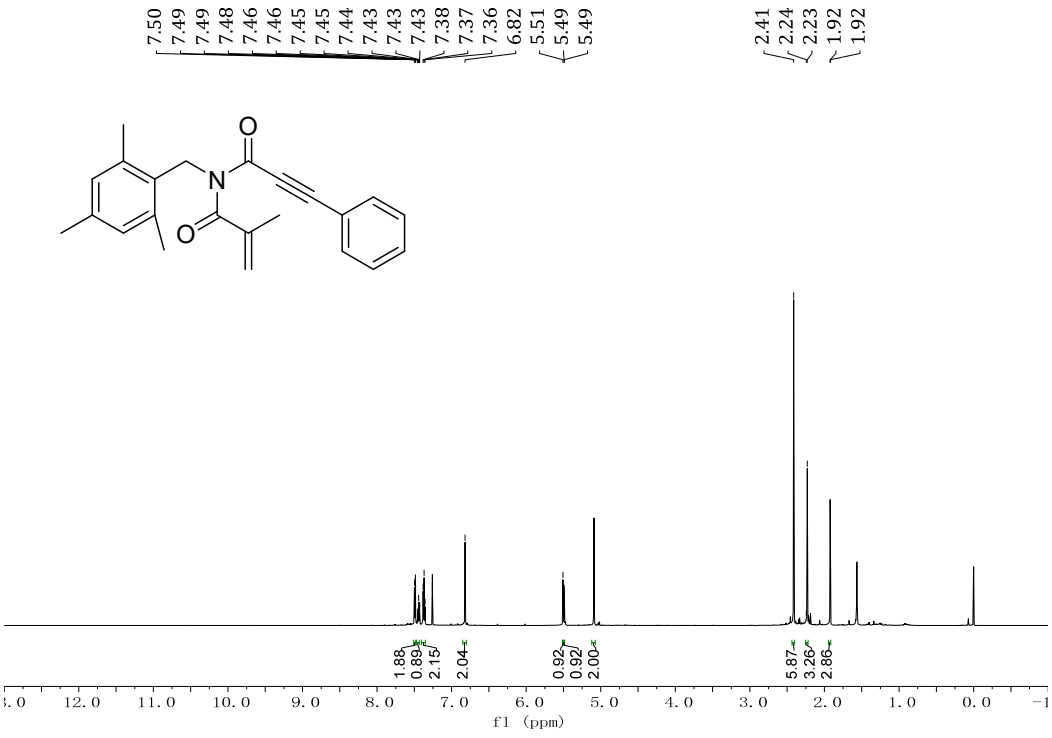


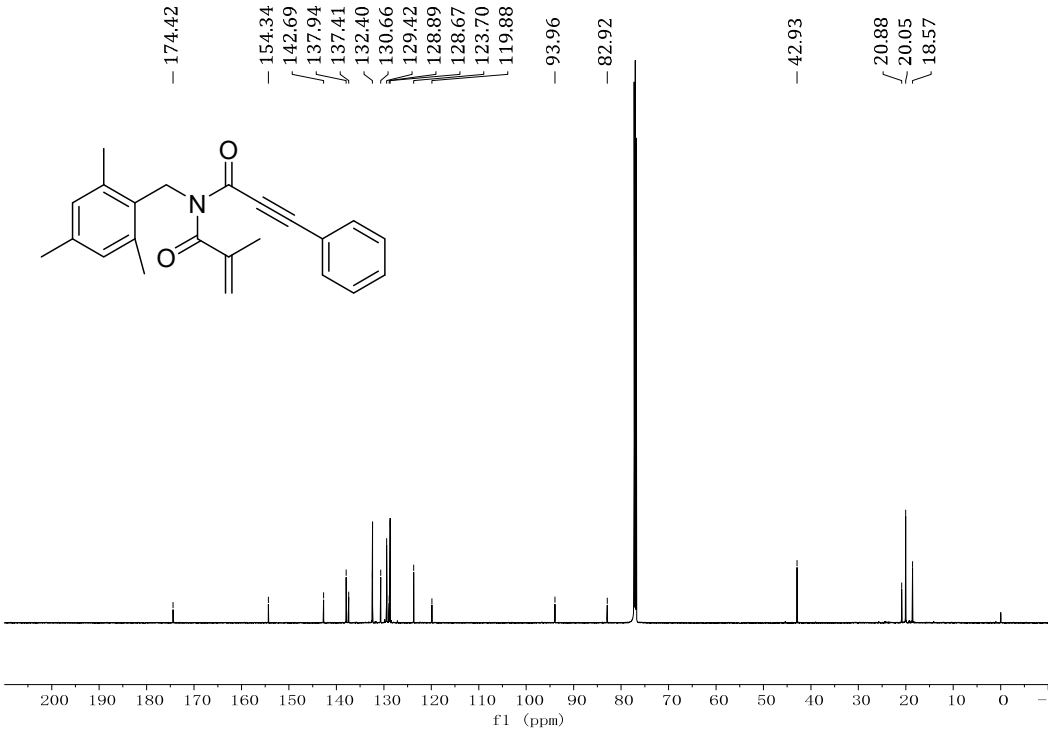
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bf**



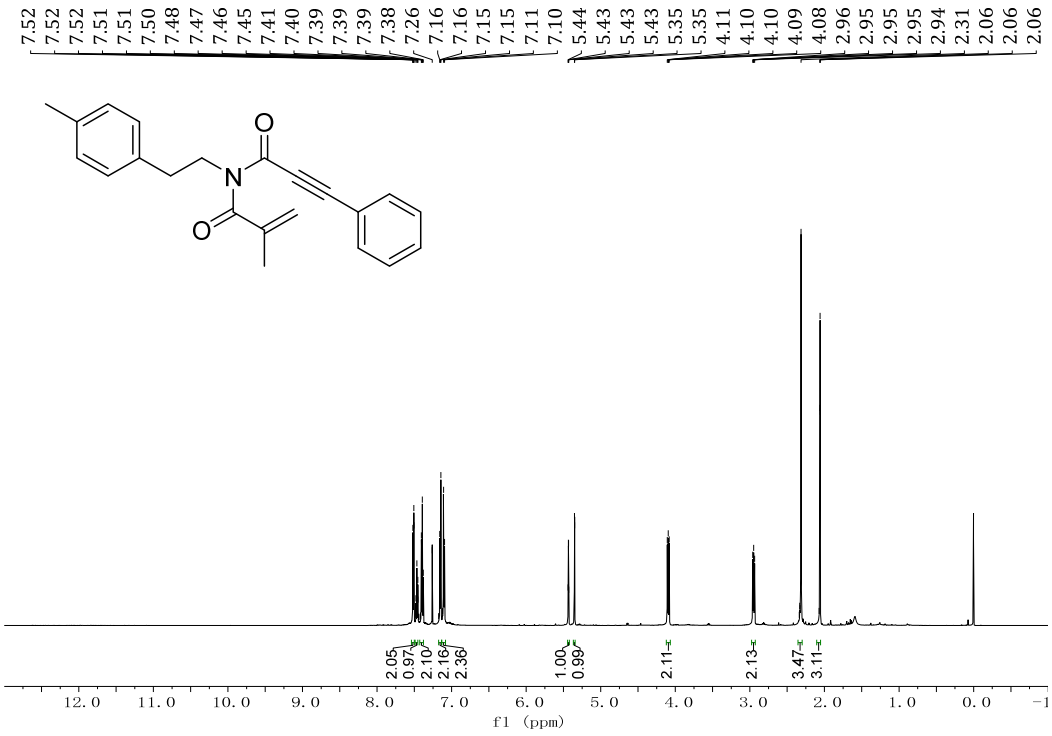


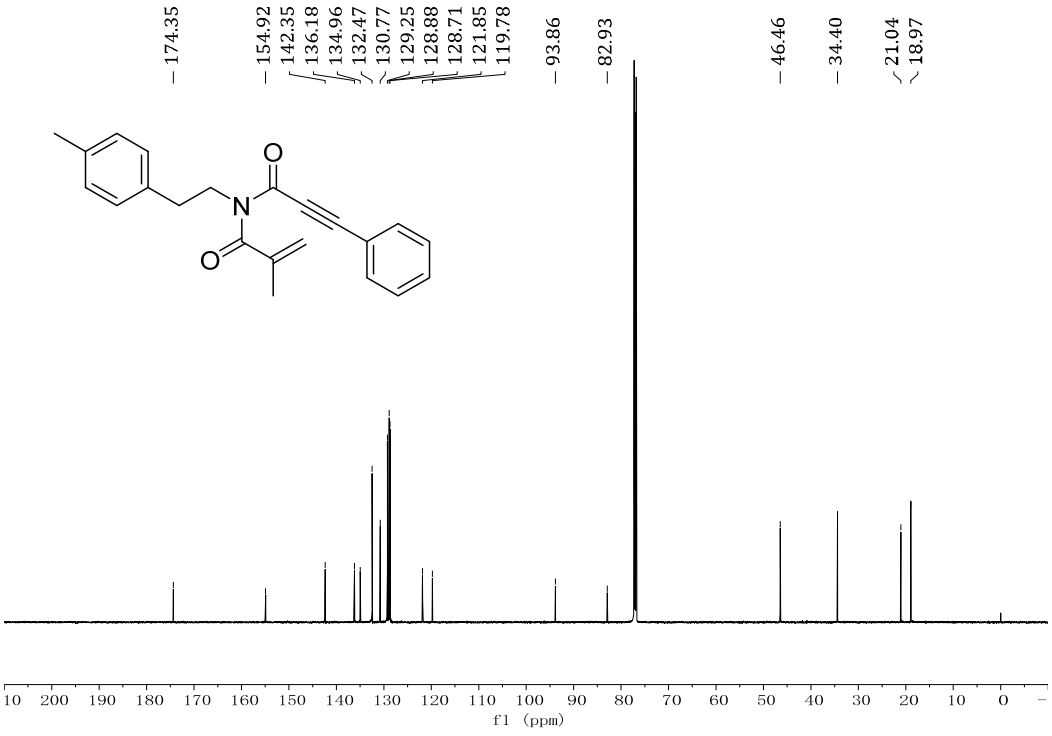
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bg**



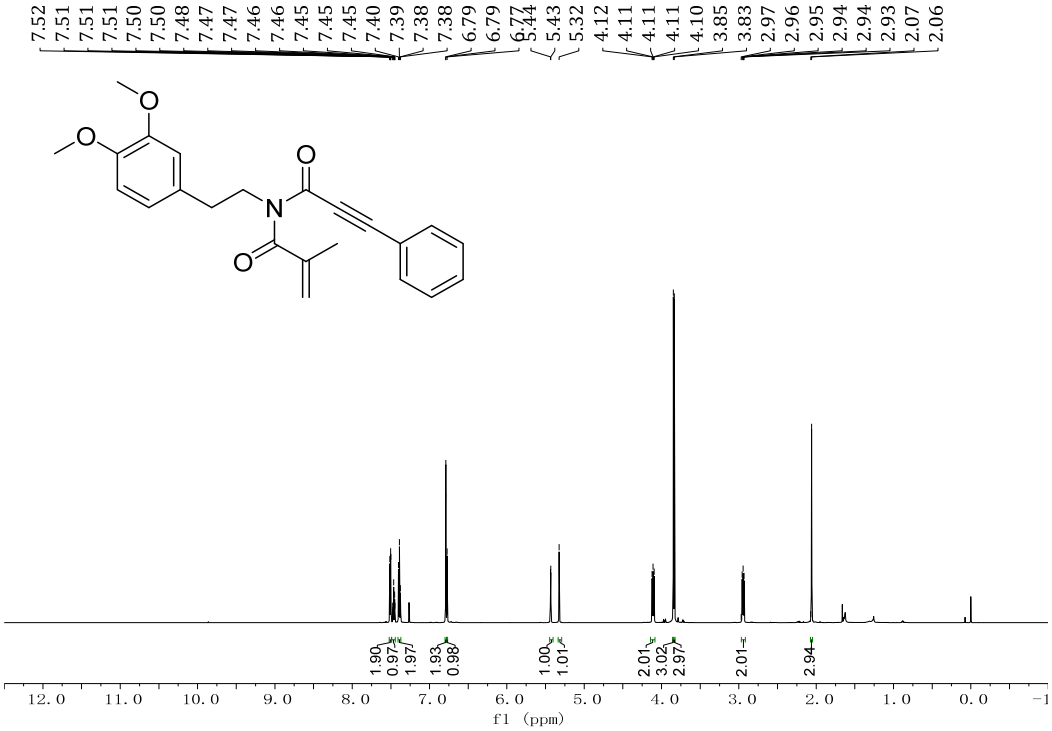


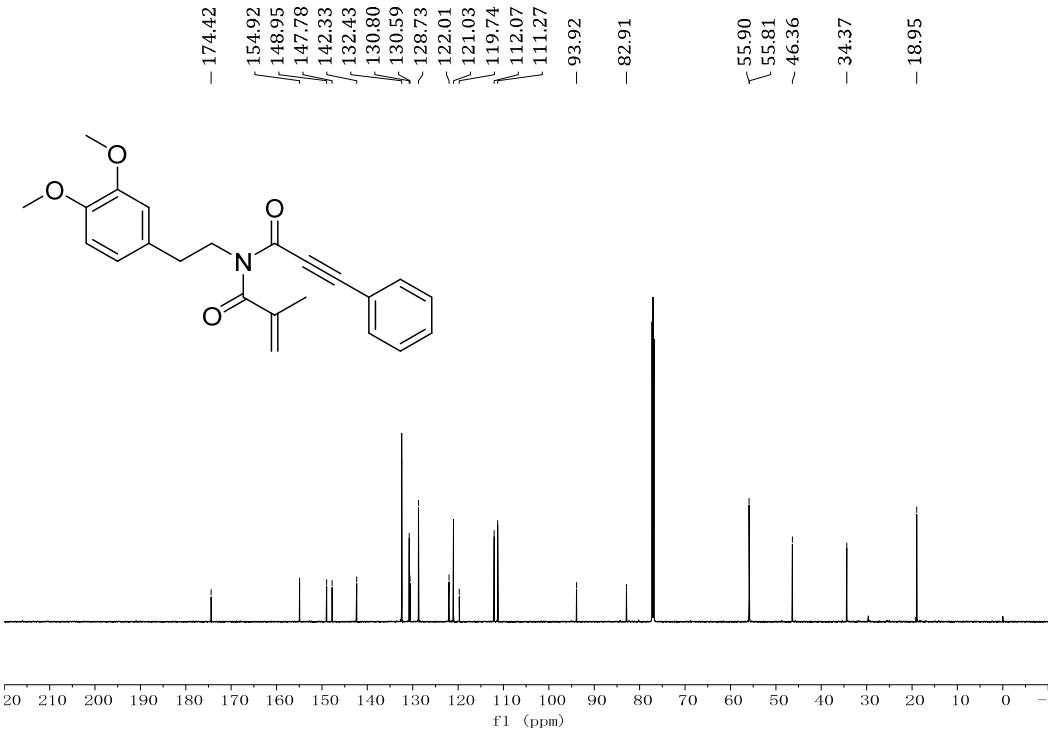
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bh**



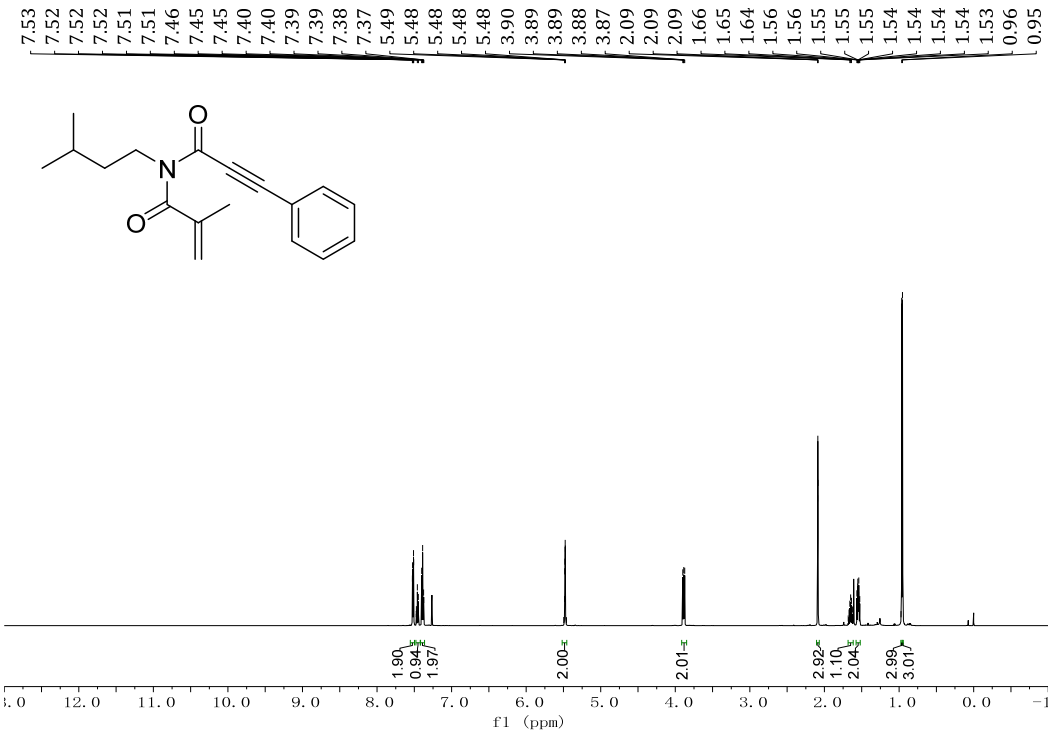


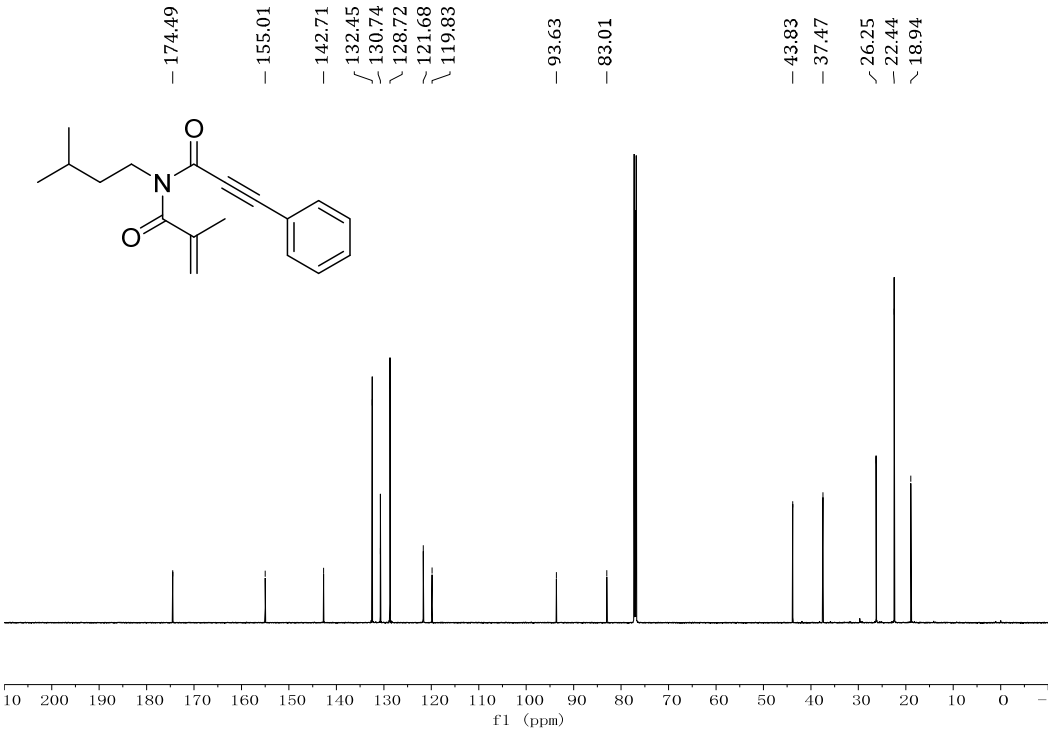
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bi**



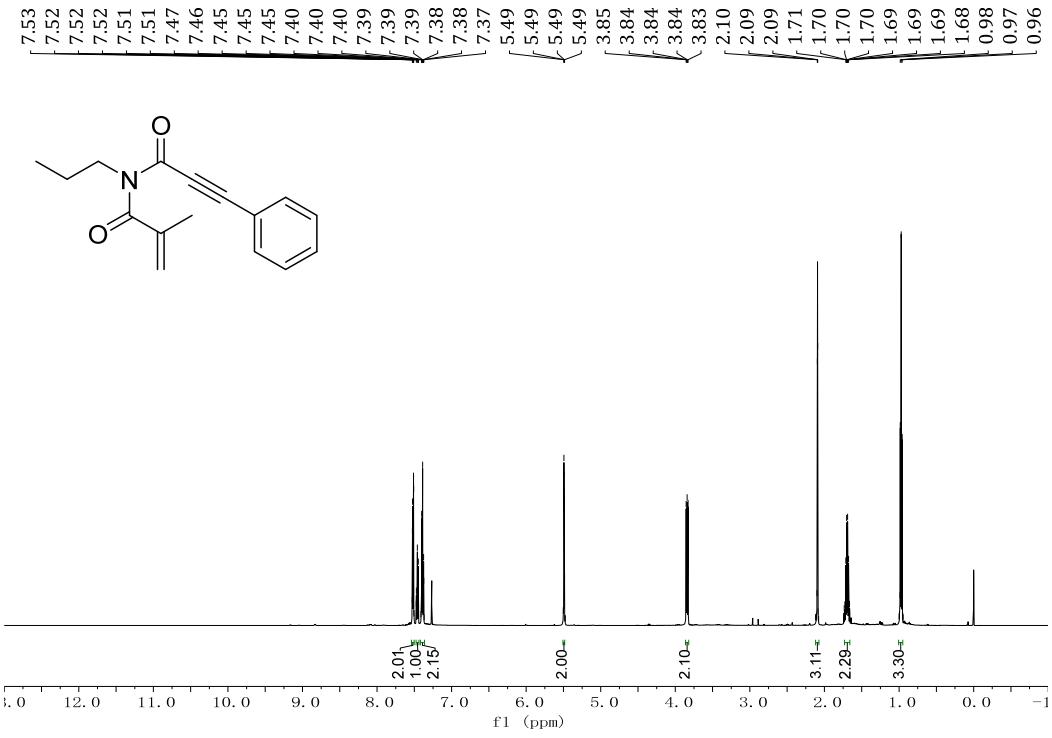


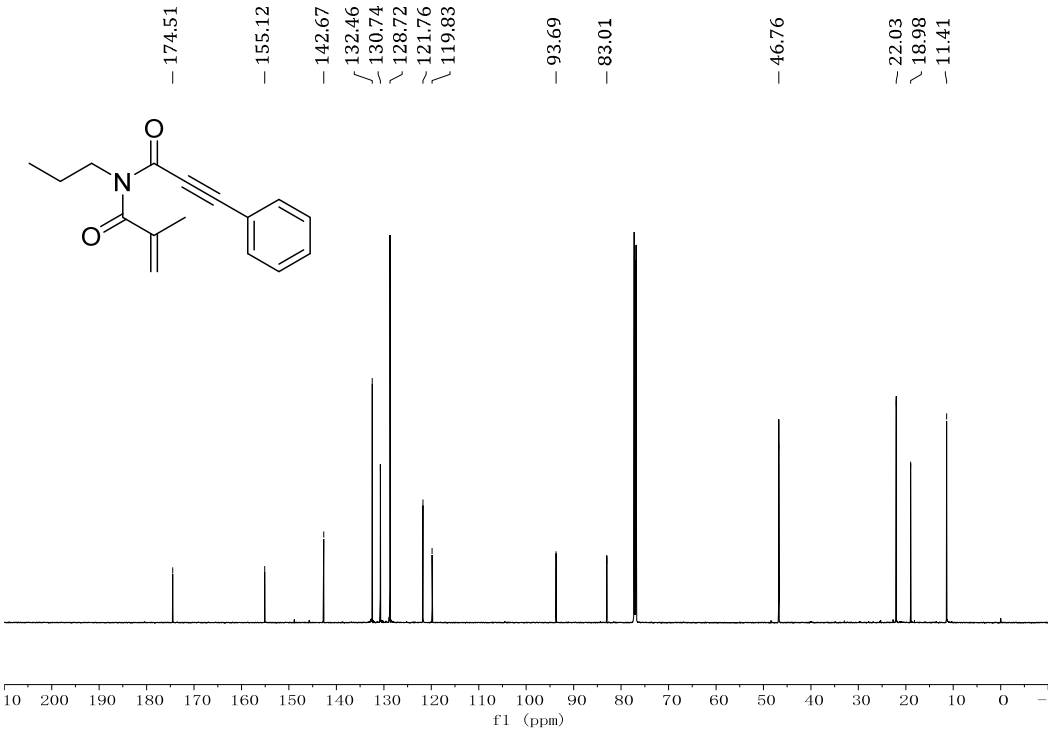
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bj**



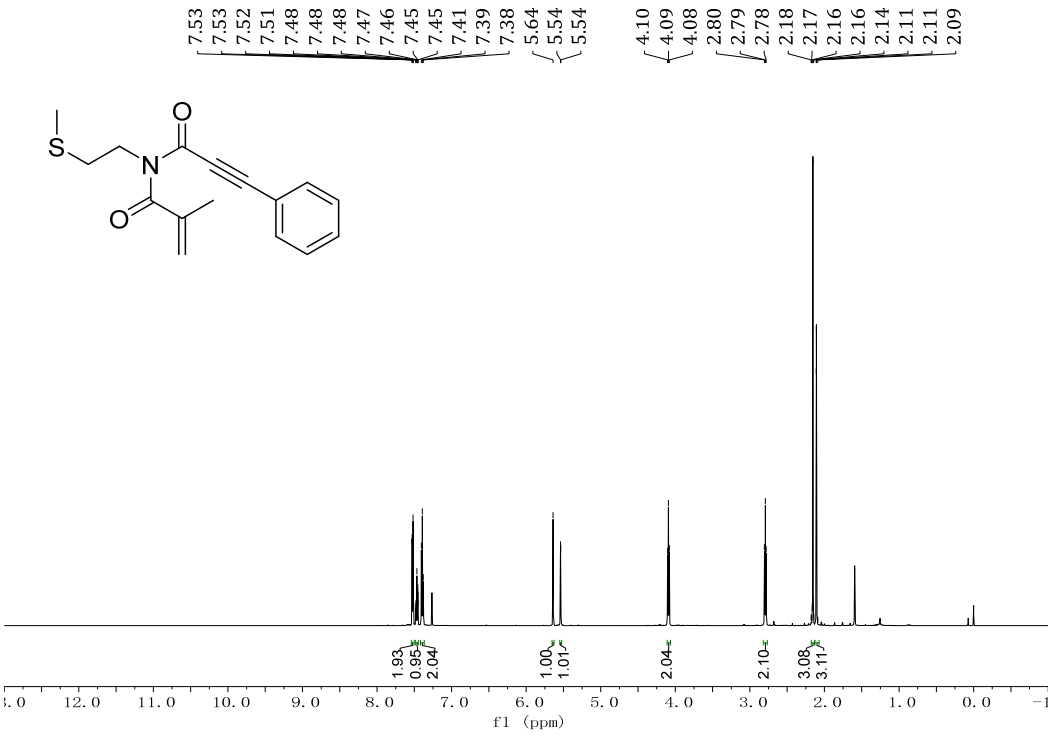


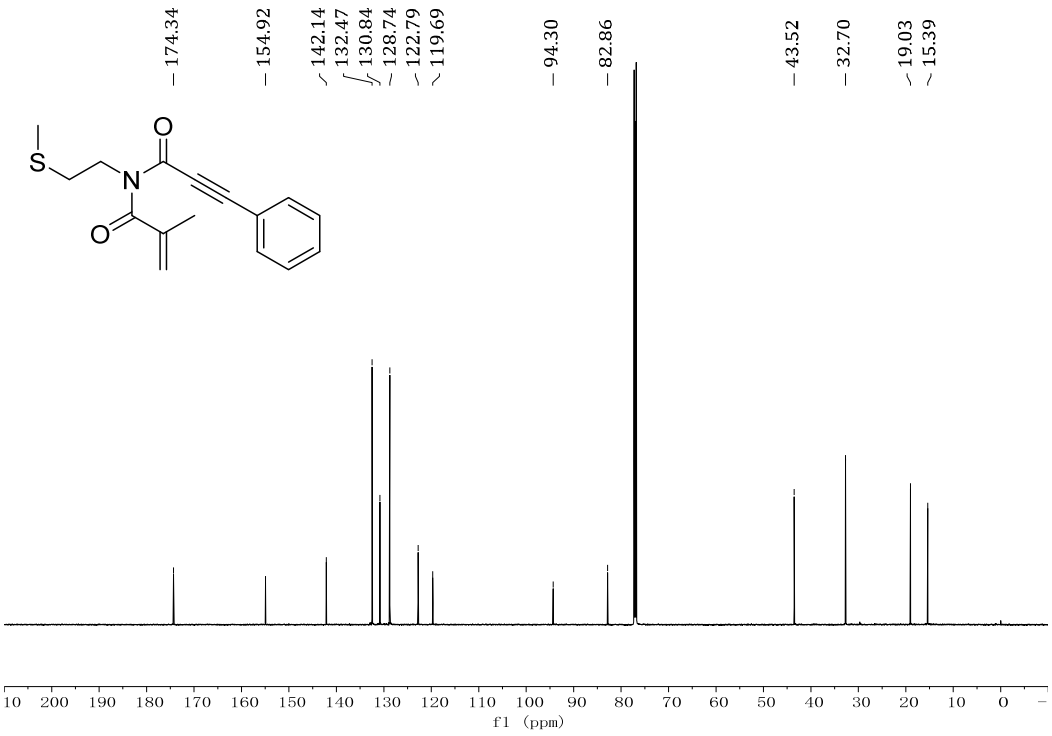
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bk**



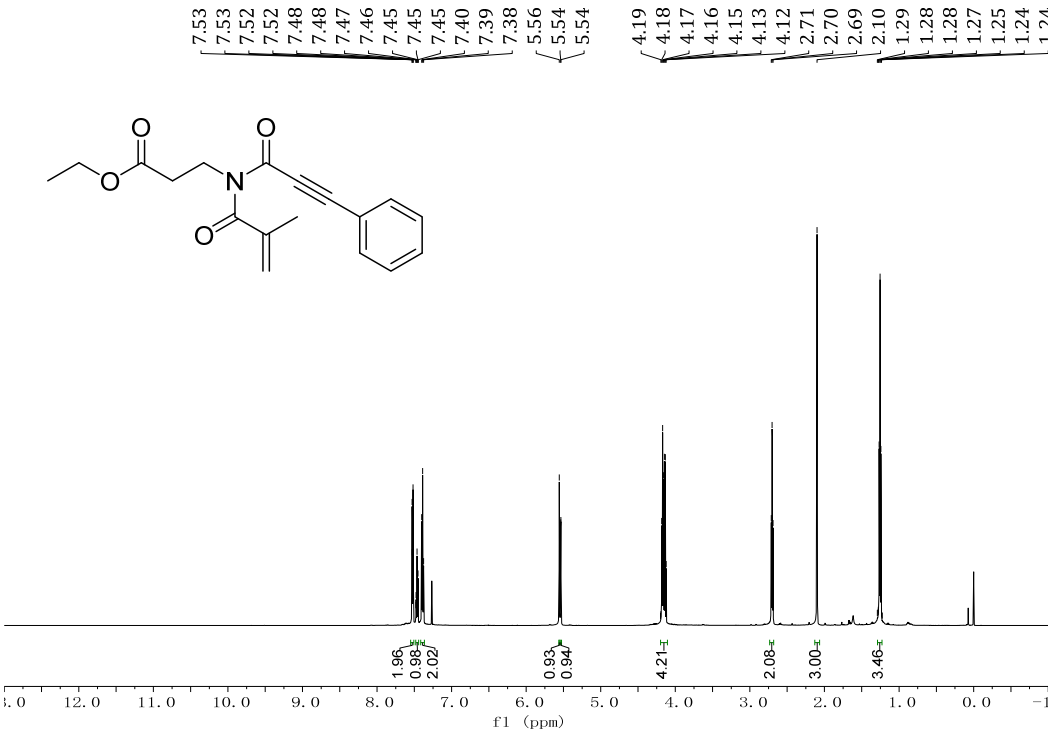


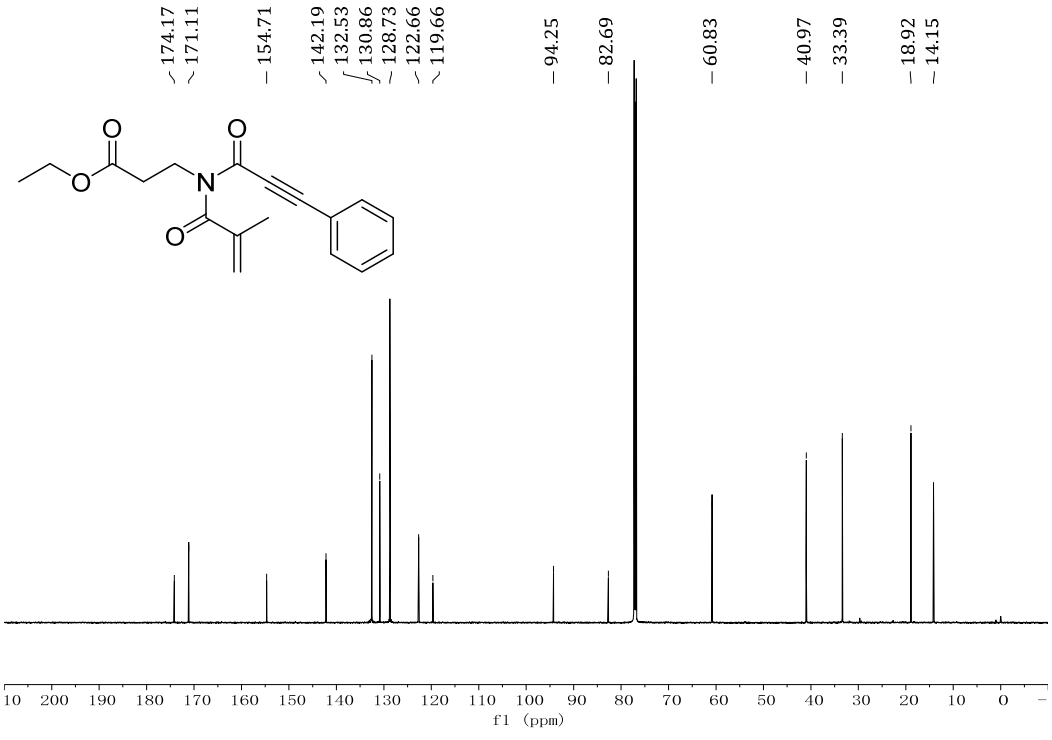
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bl**





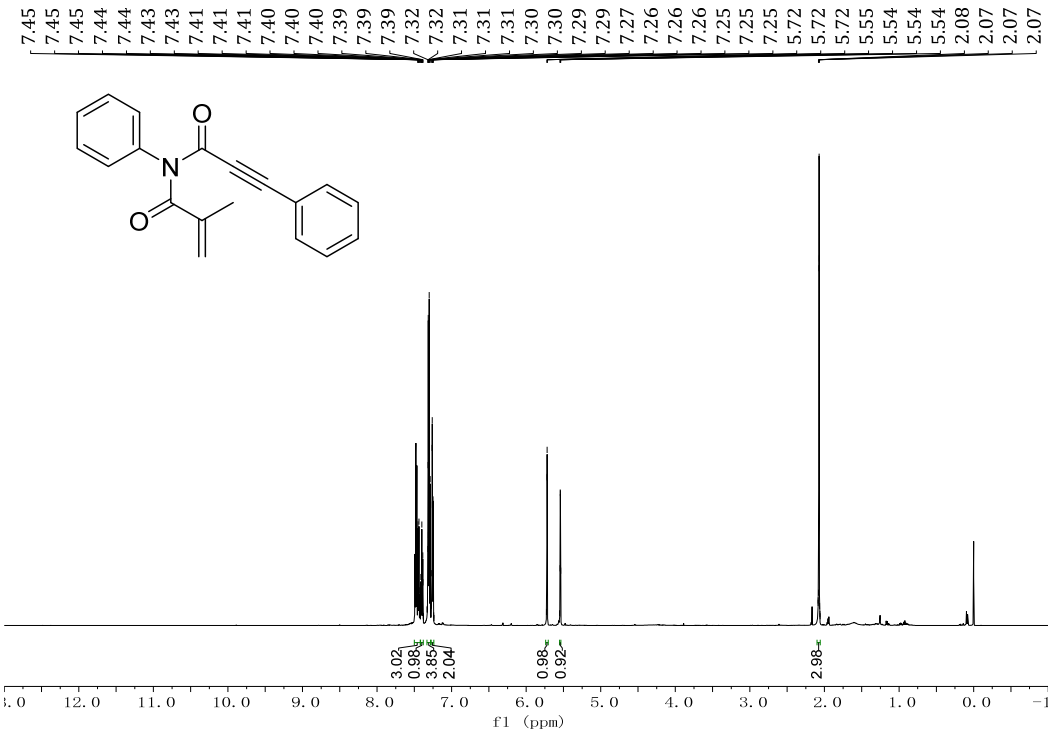
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bm**

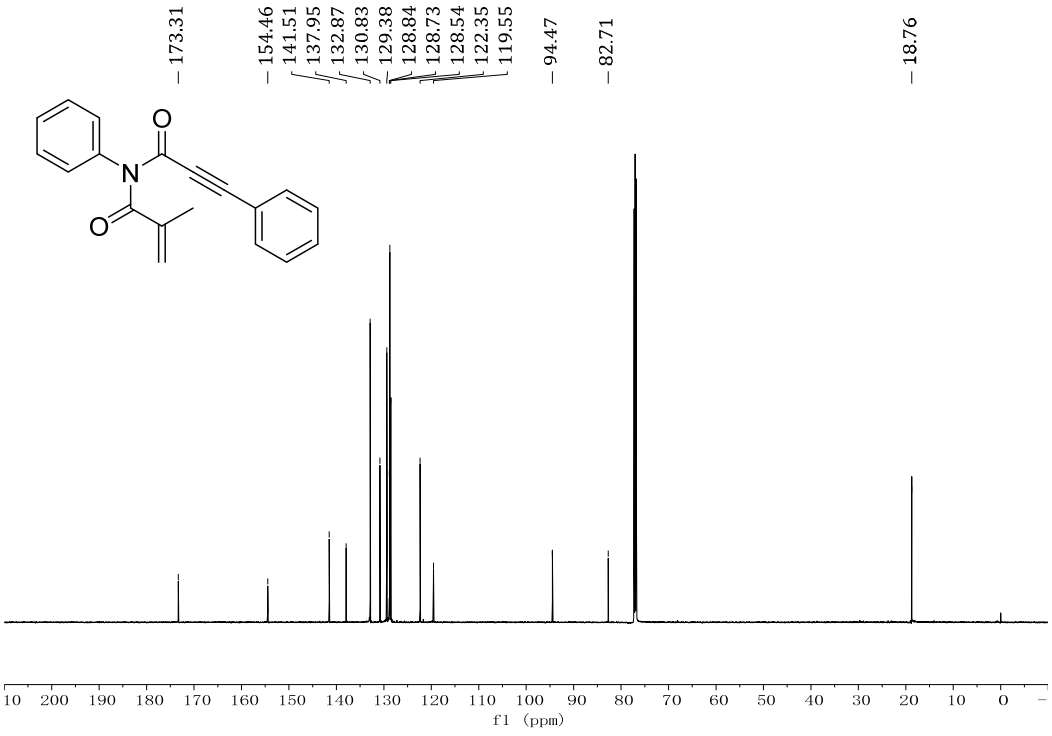




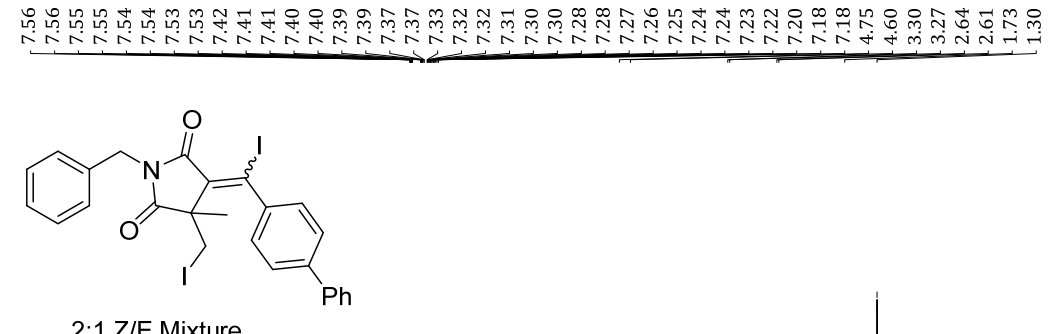
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bn**

^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **1bo**

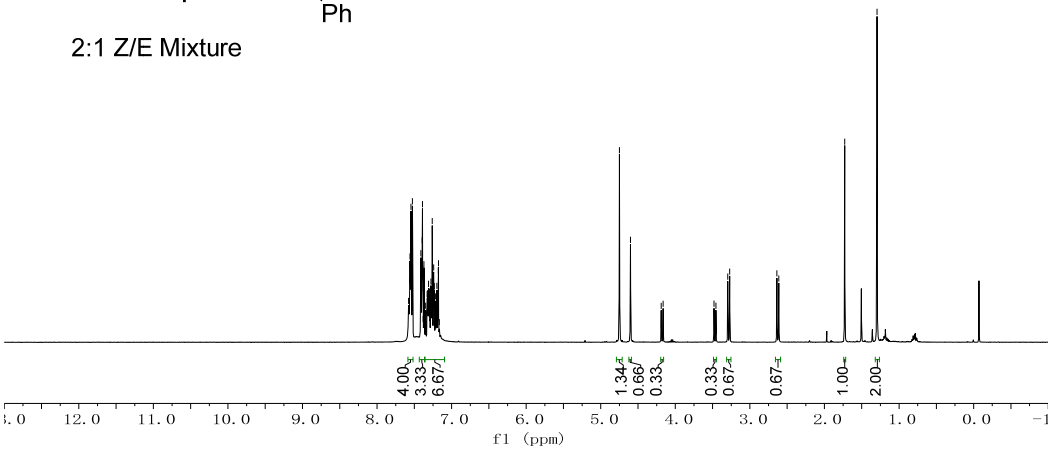


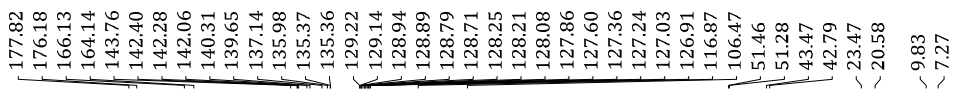


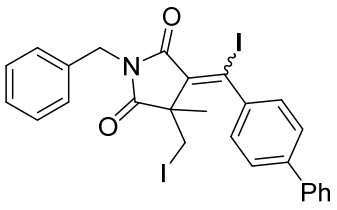
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3aa**



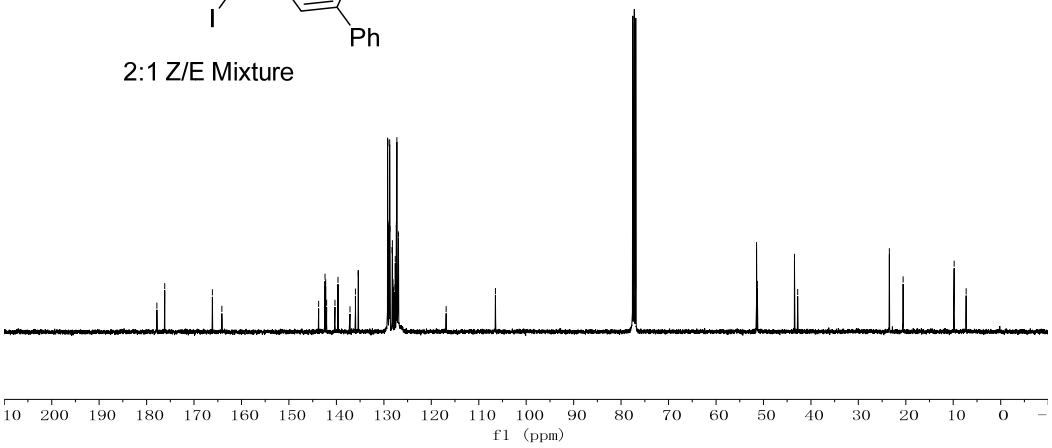
2:1 Z/E Mixture



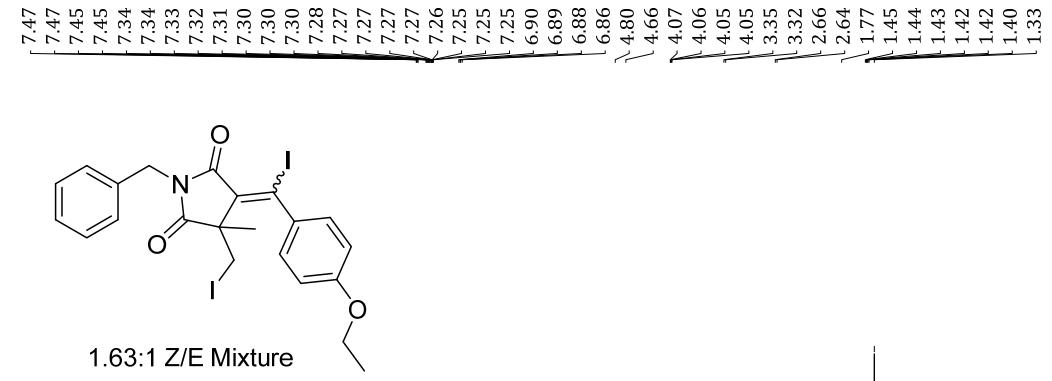




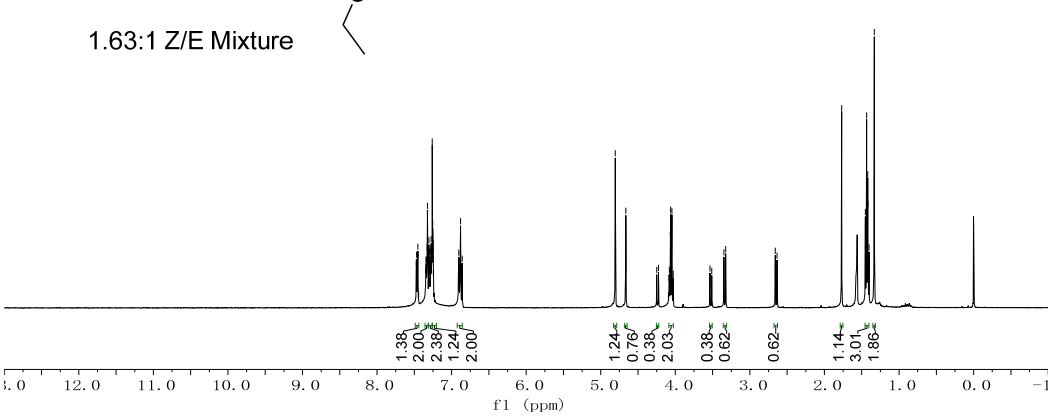
2:1 Z/E Mixture

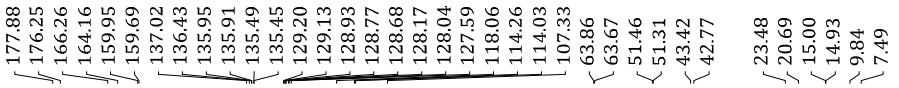


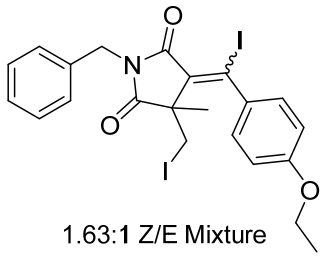
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3ab**



1.63:1 Z/E Mixture

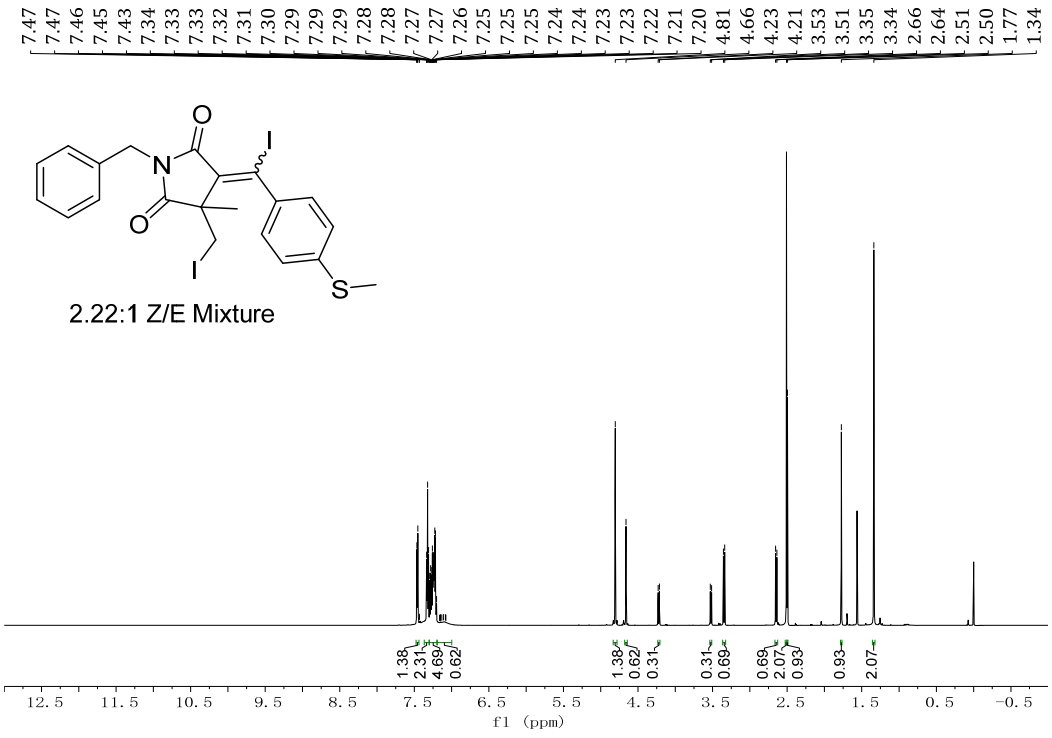


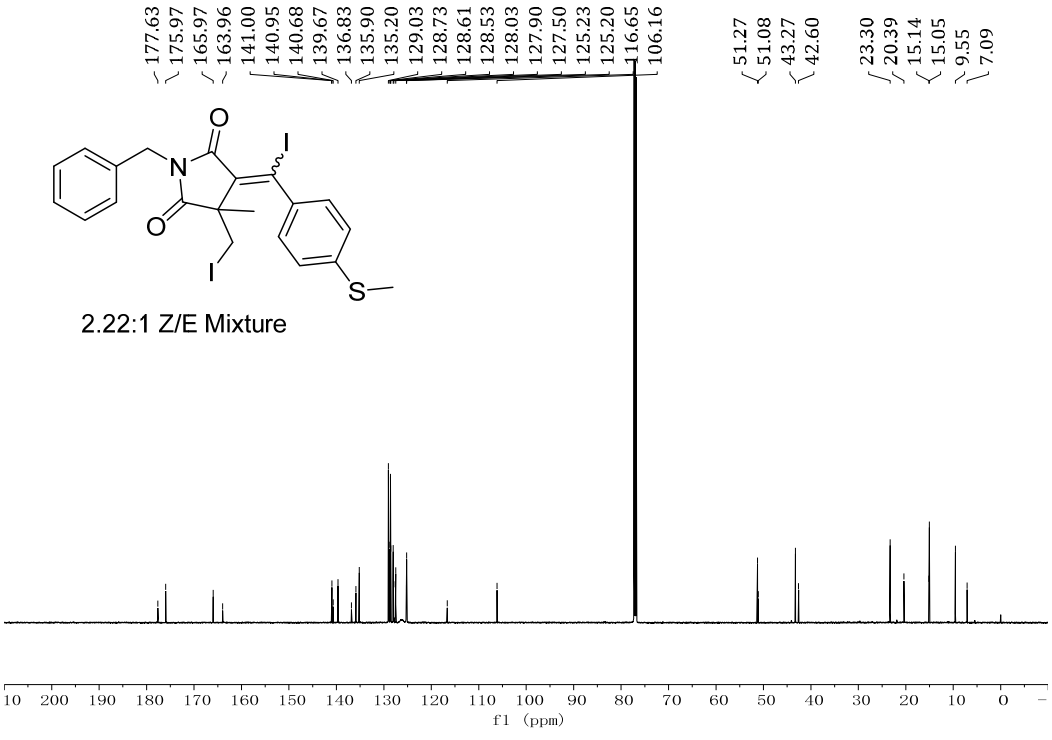




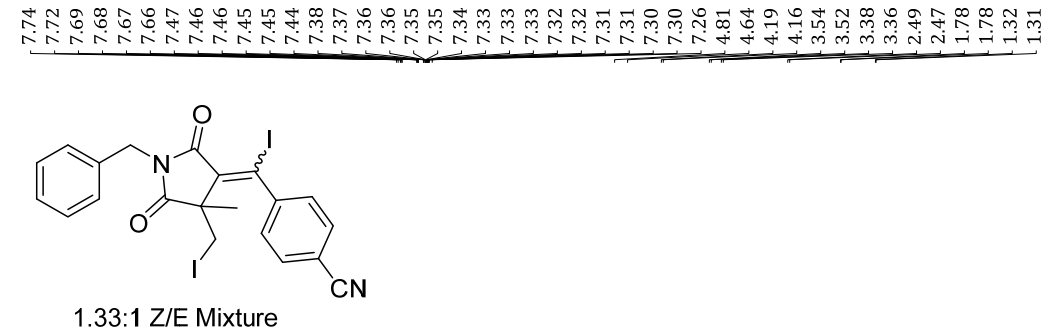
1.63:1 Z/E Mixture

^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ac**

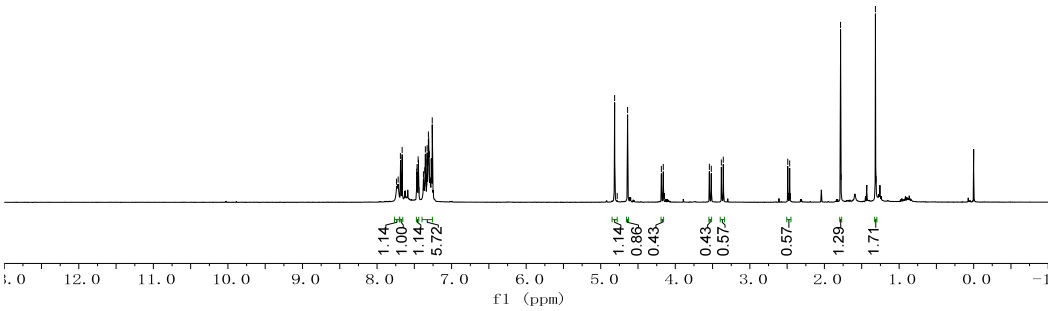


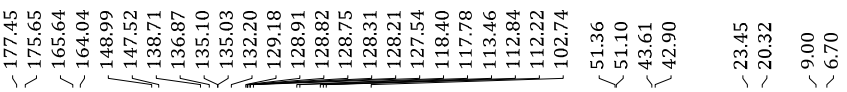


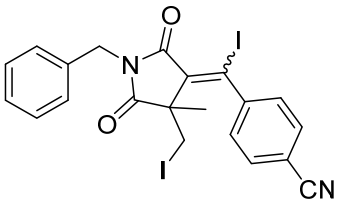
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3ad**



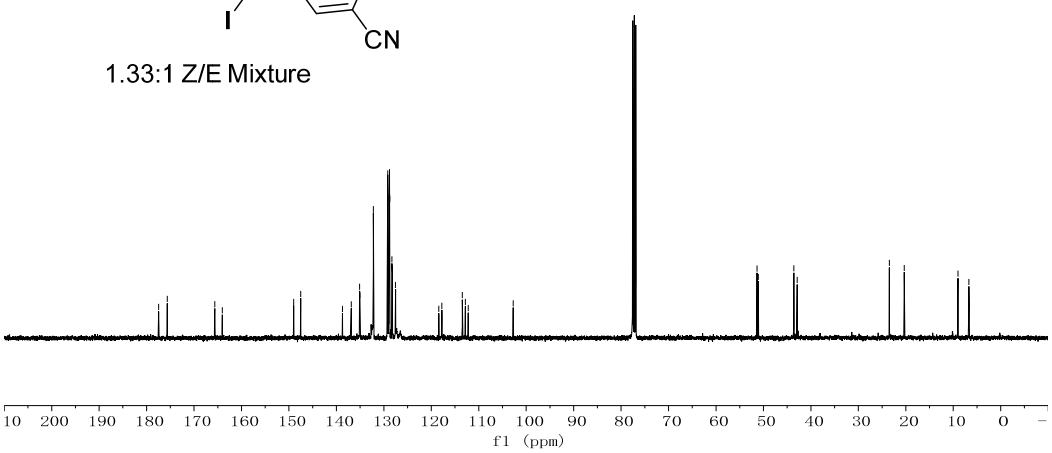
1.33:**1** Z/E Mixture



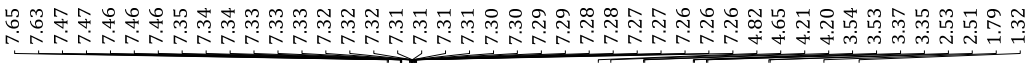


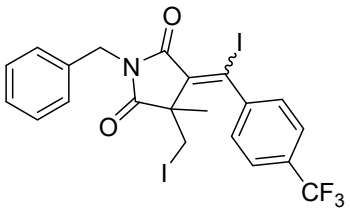


1.33:1 Z/E Mixture

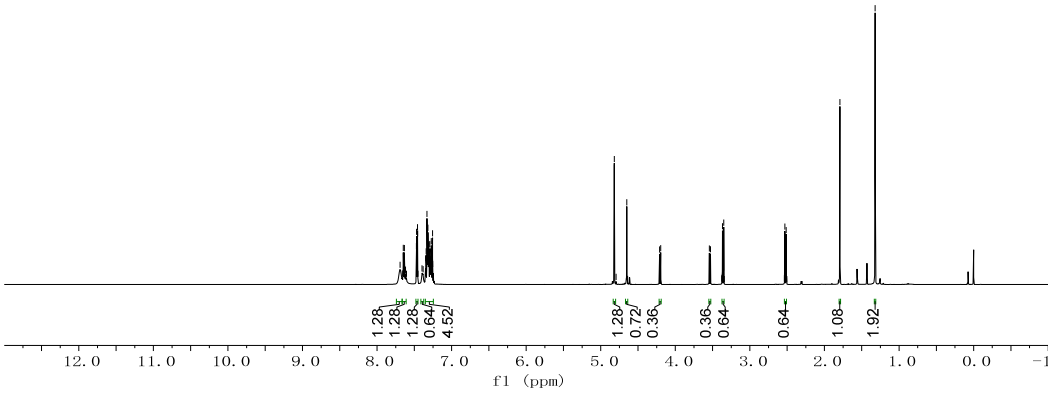


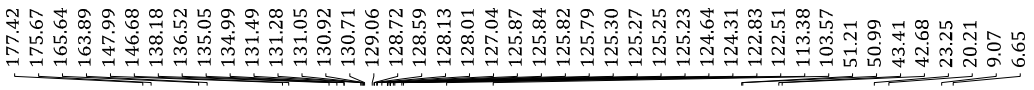
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ae**

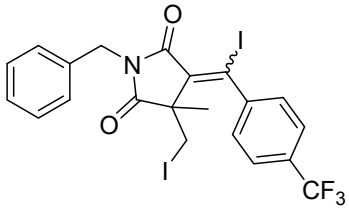




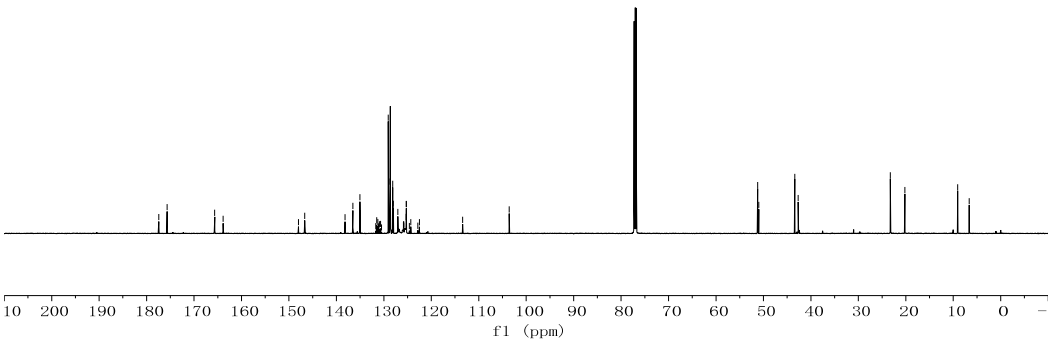
1.78:1 Z/E Mixture



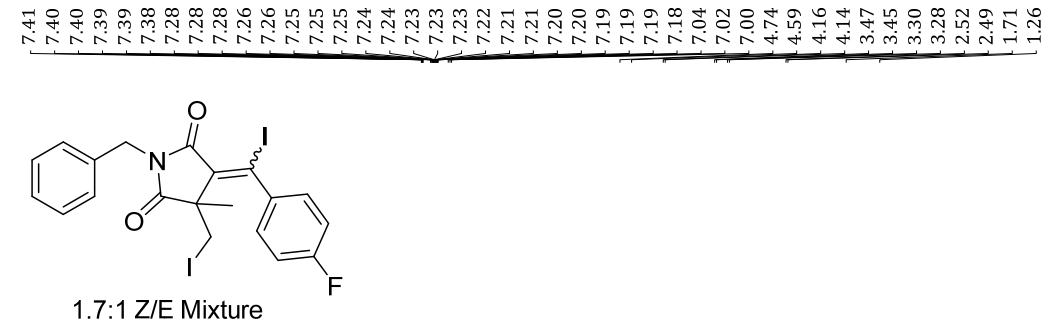




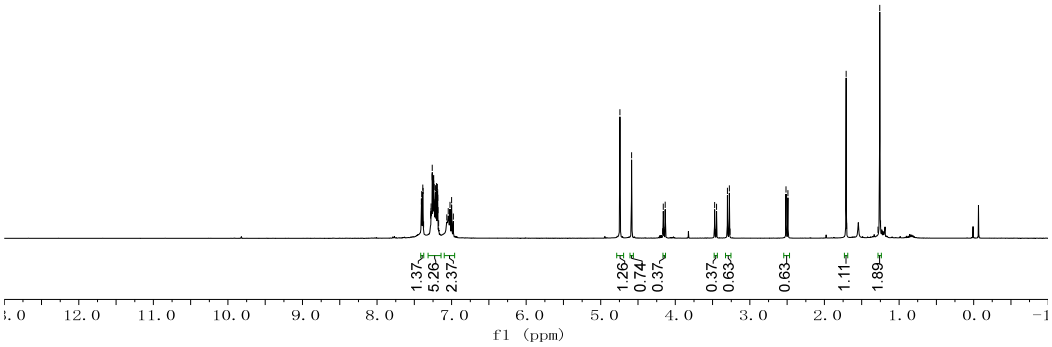
1.78:1 Z/E Mixture

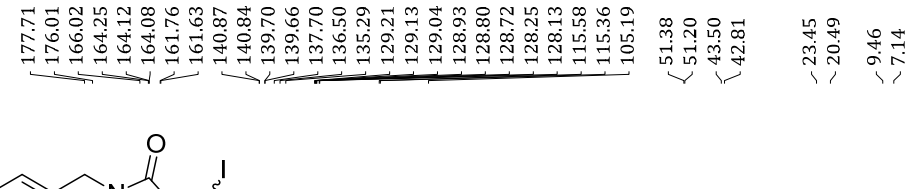


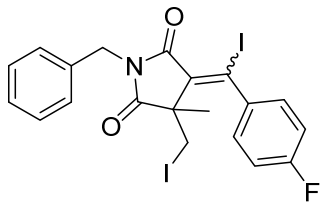
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3af**



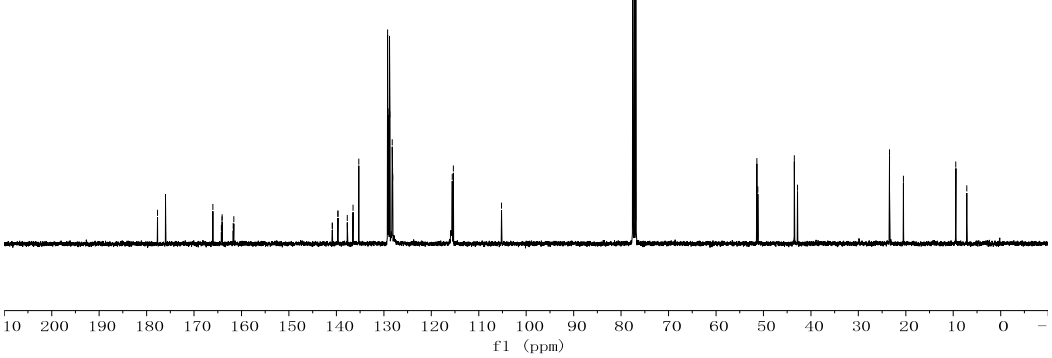
1.7:1 Z/E Mixture



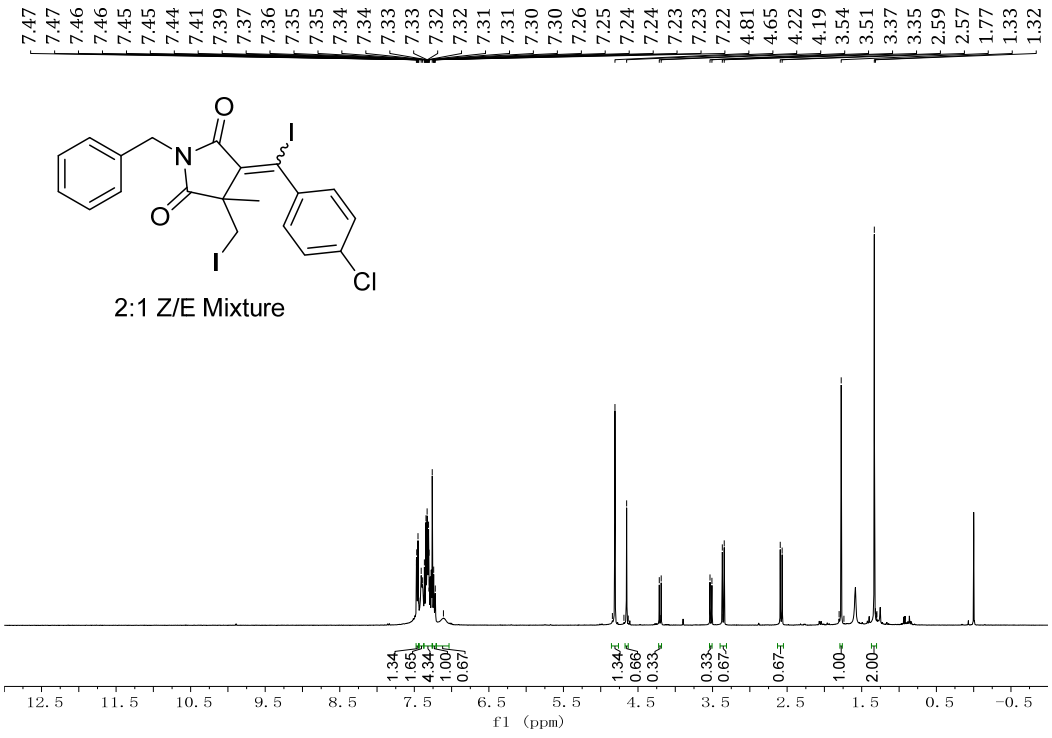


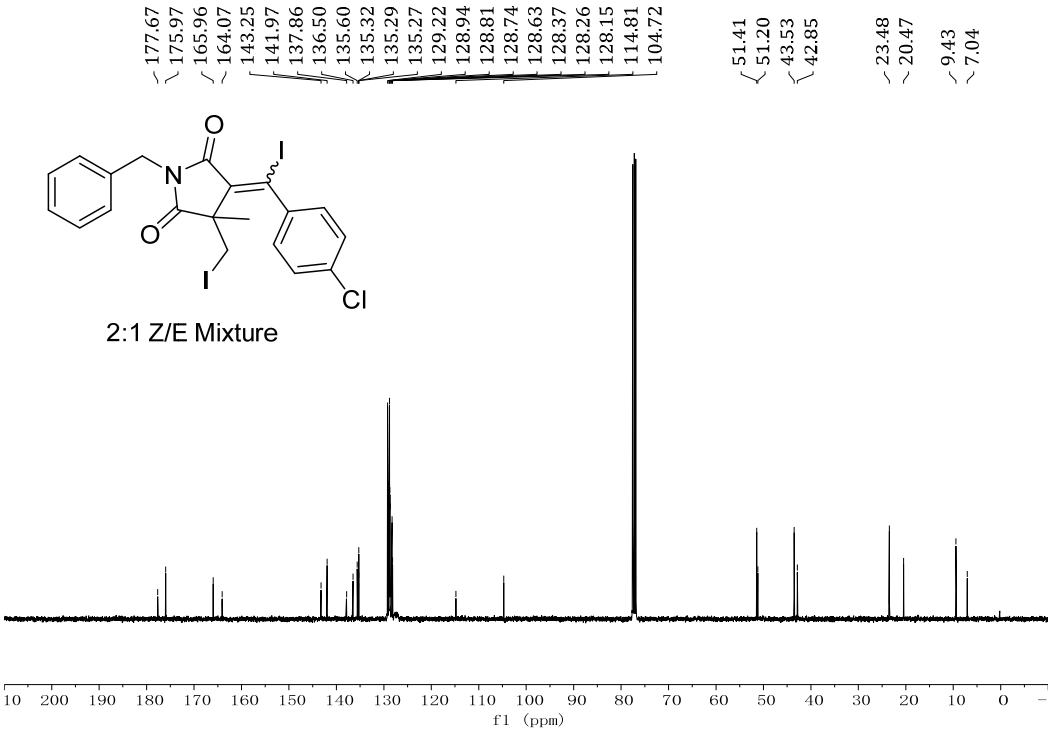


1.7:1 Z/E Mixture

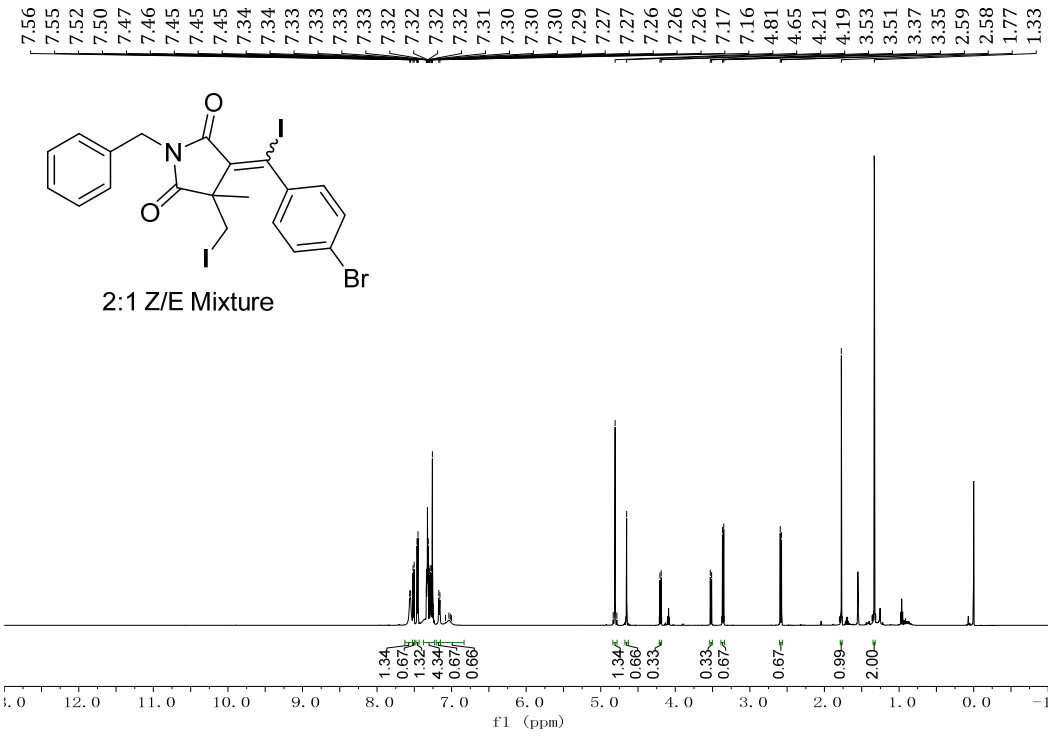


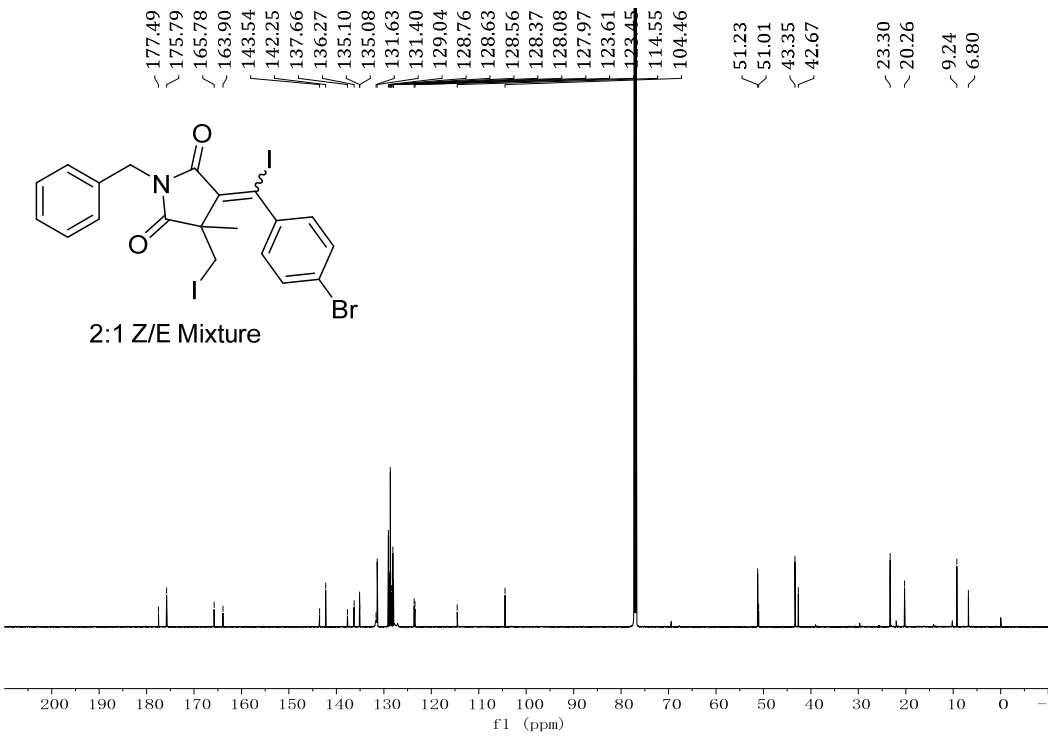
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3ag**



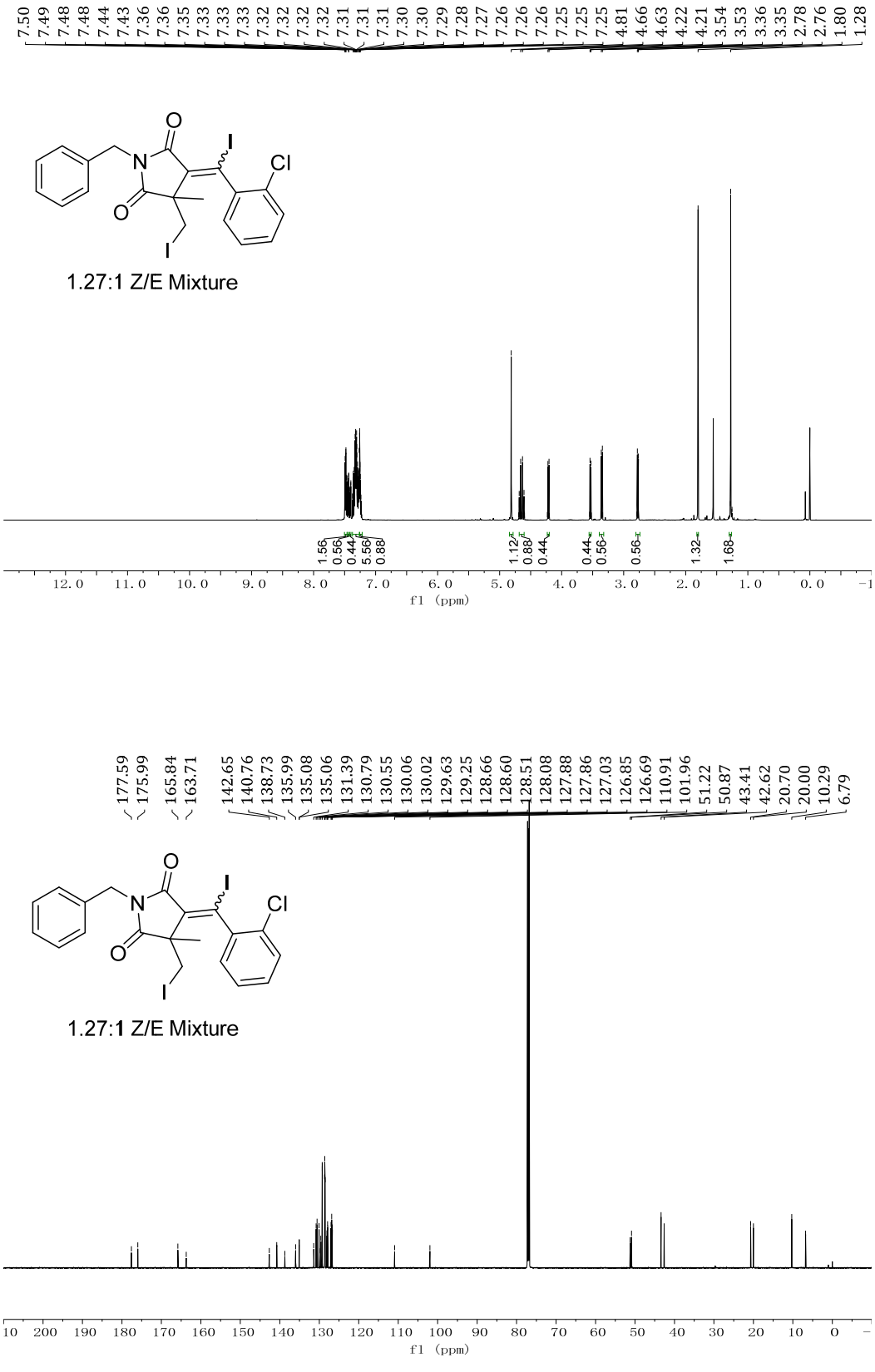


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ah**

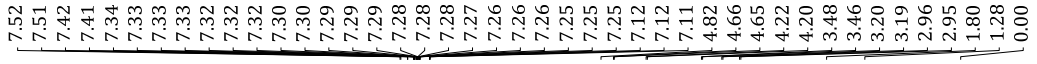


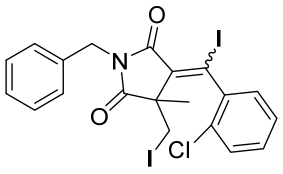


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ai**

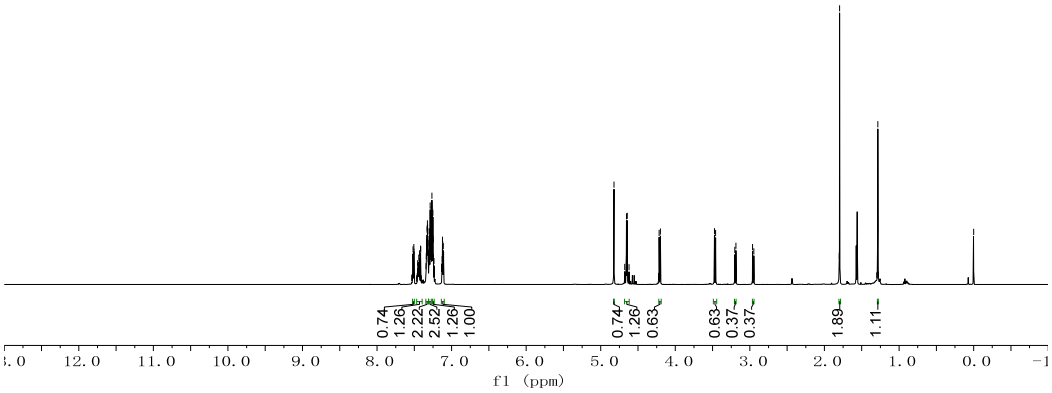


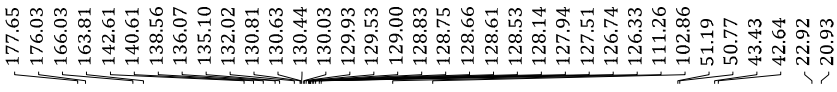
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ai'**

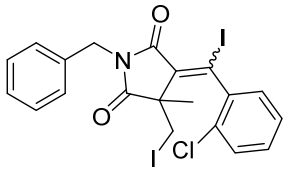




1:1.7 Z/E Mixture

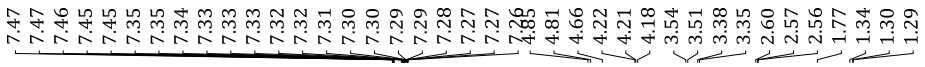


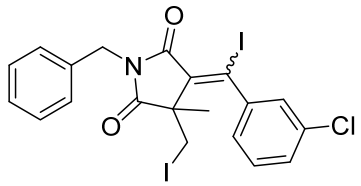




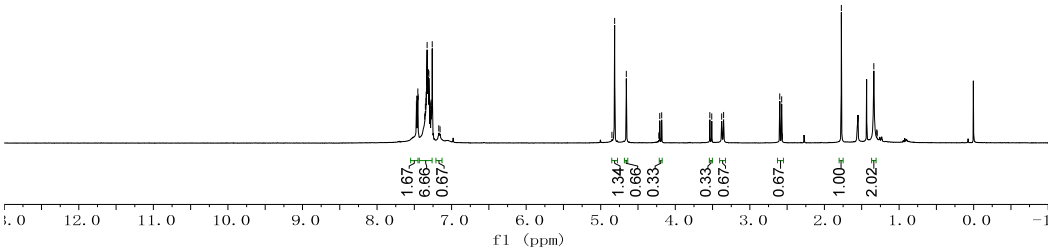
1:1.7 Z/E Mixture

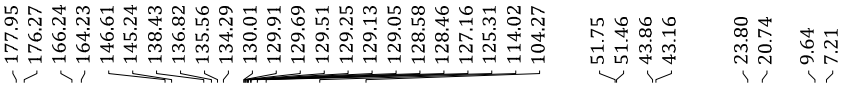
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3aj**

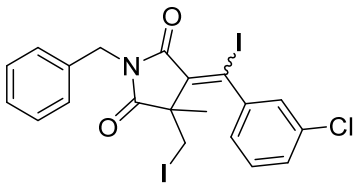




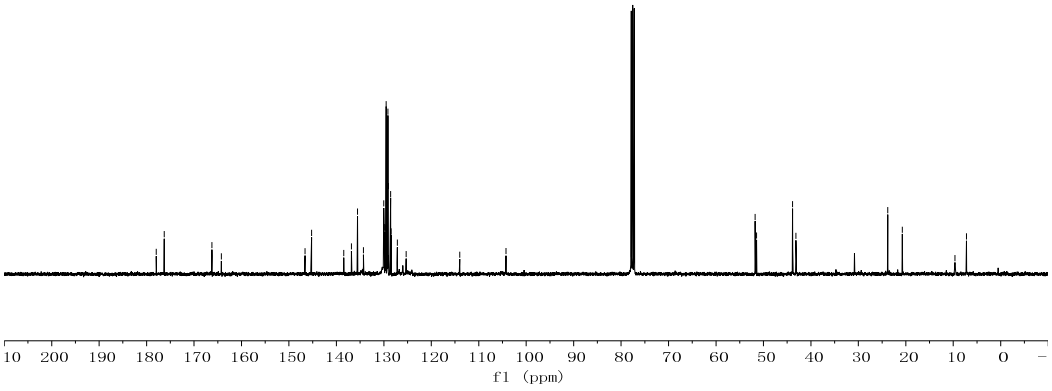
2:1 Z/E Mixture



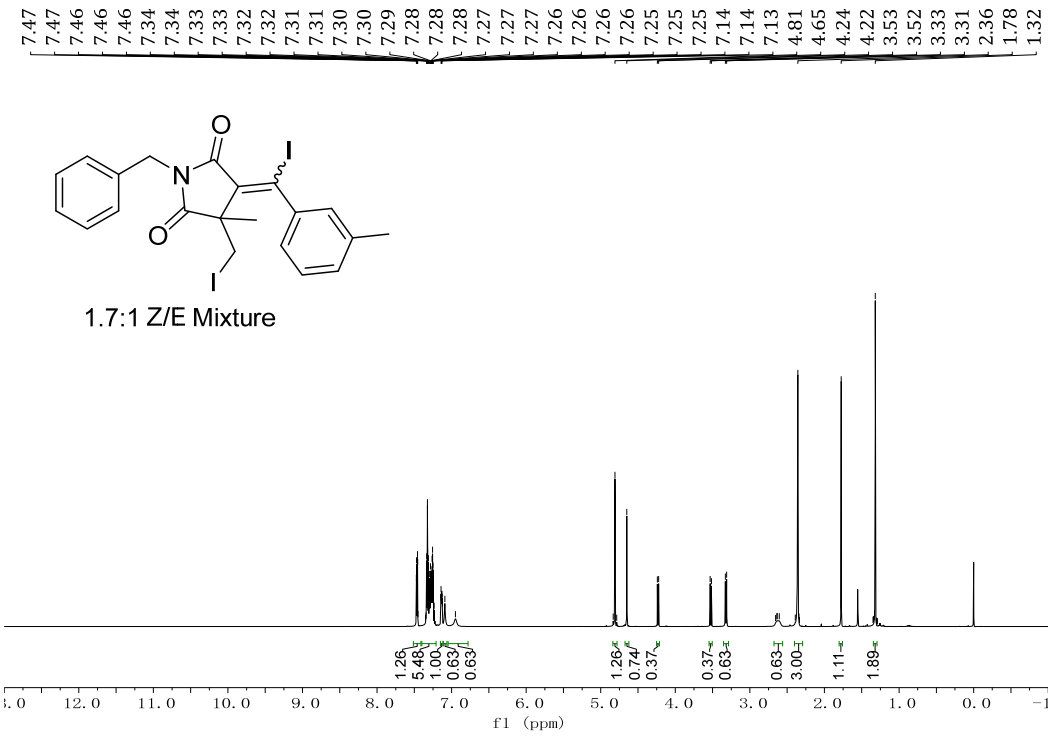


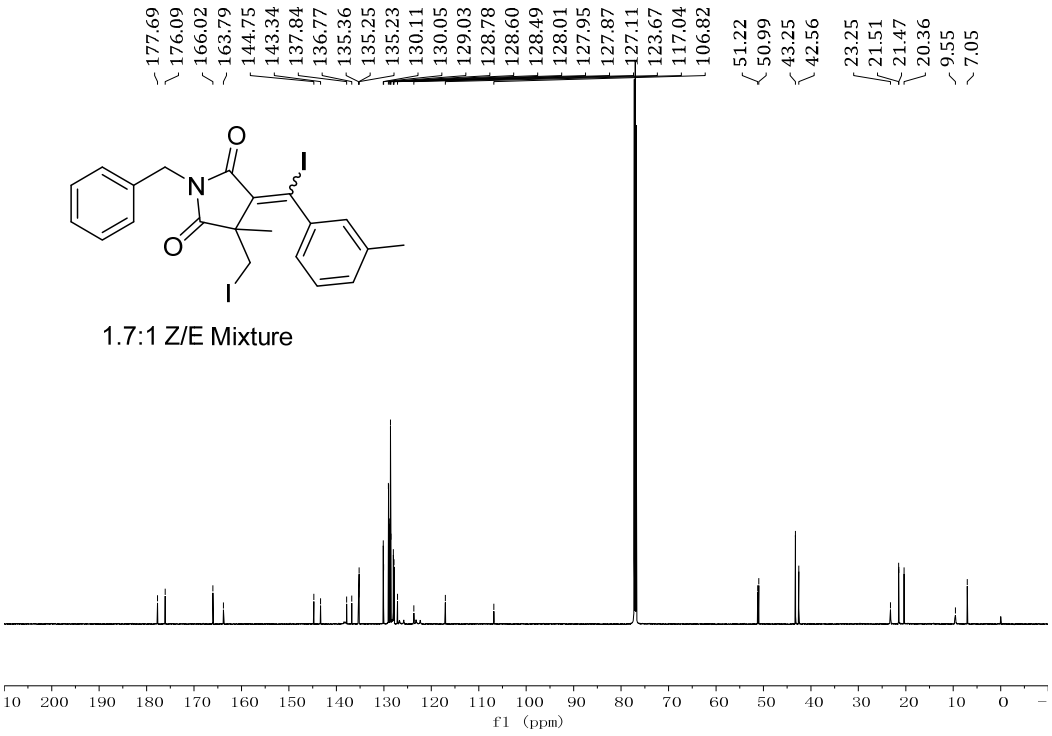


2:1 Z/E Mixture

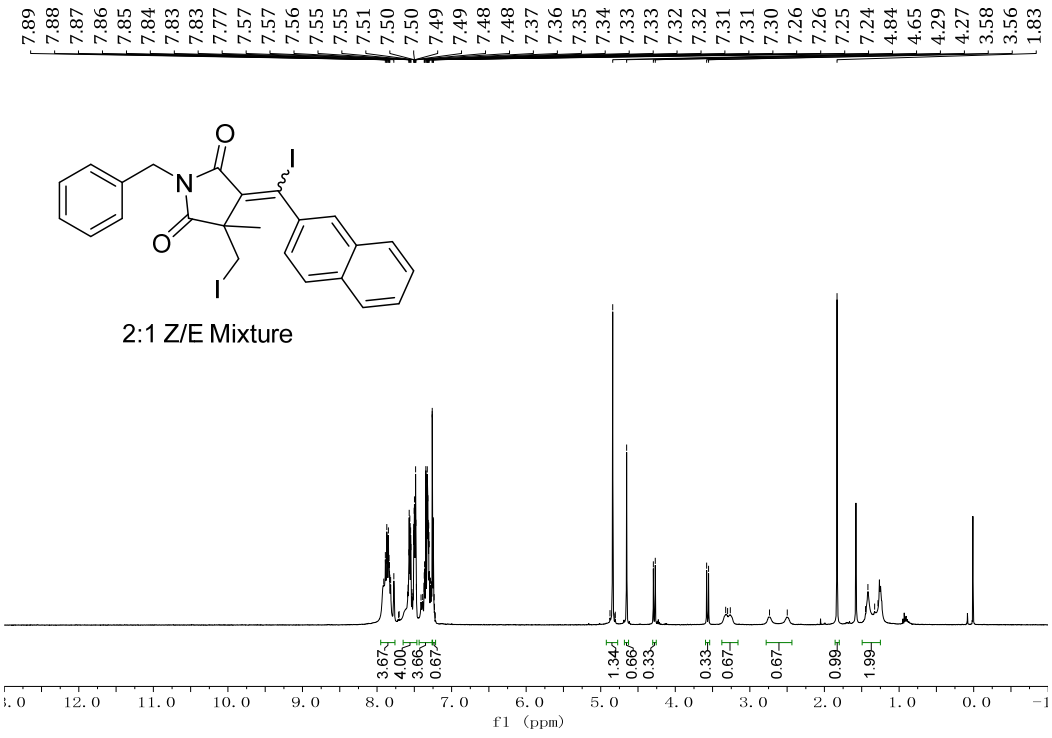


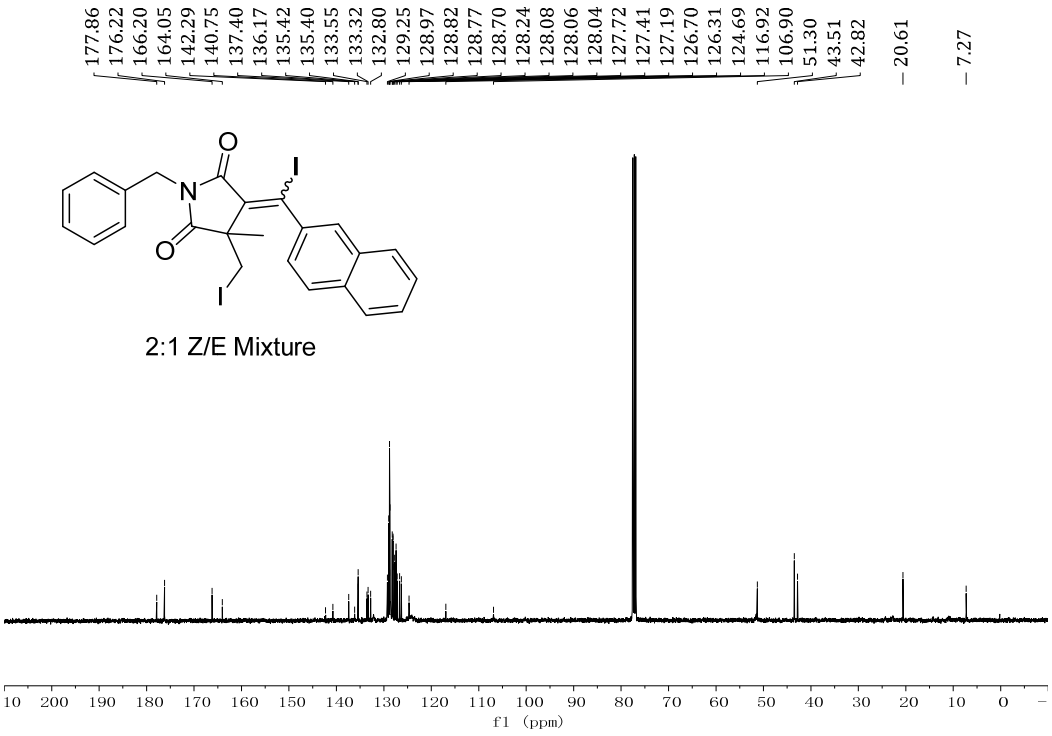
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ak**



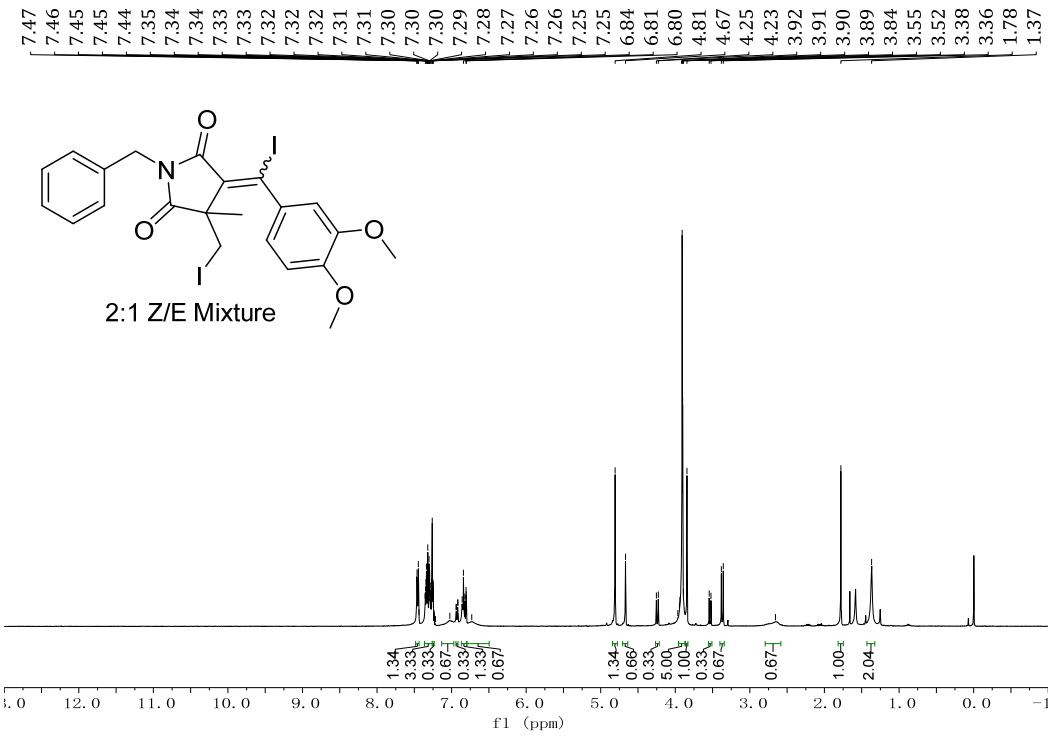


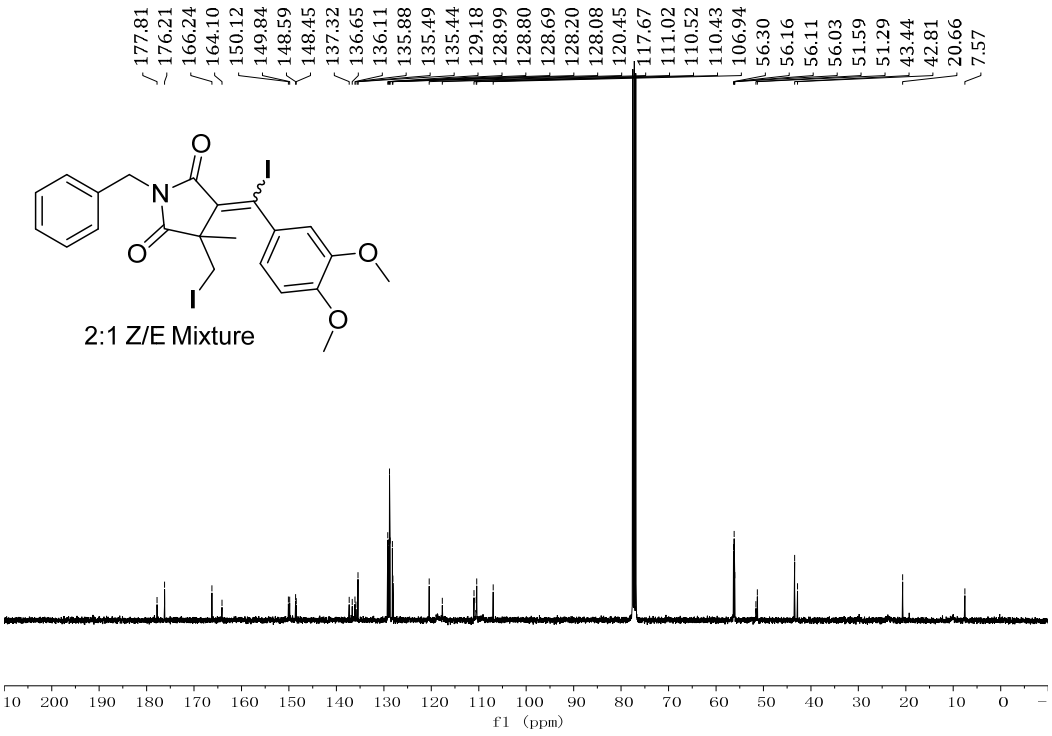
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3al**



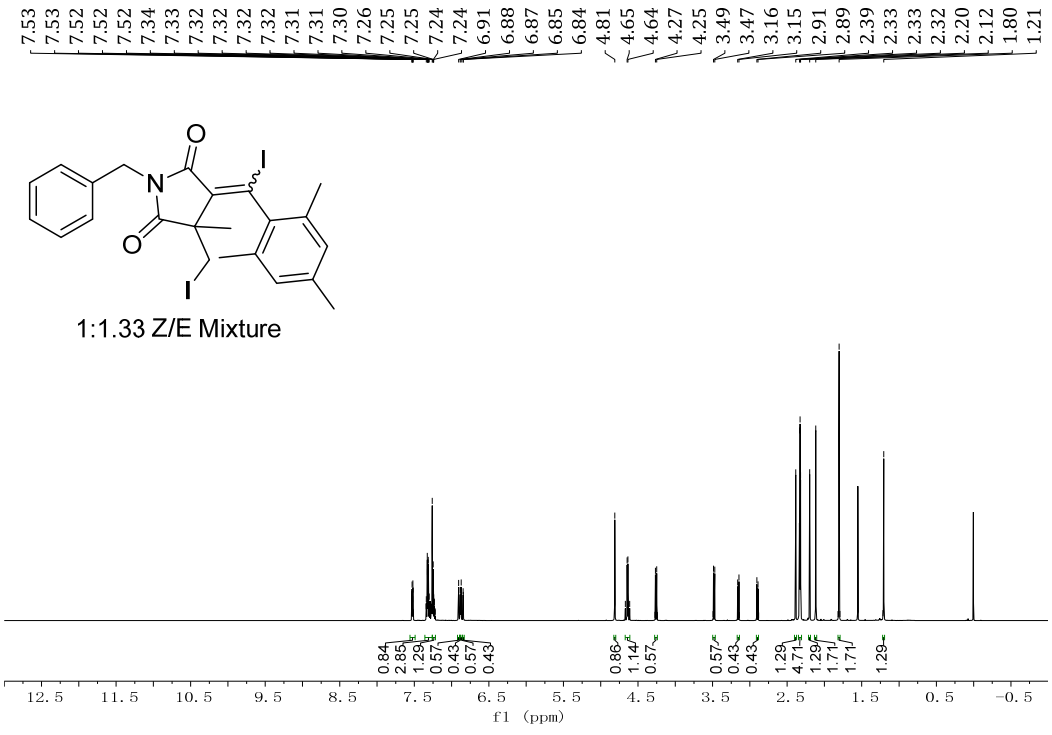


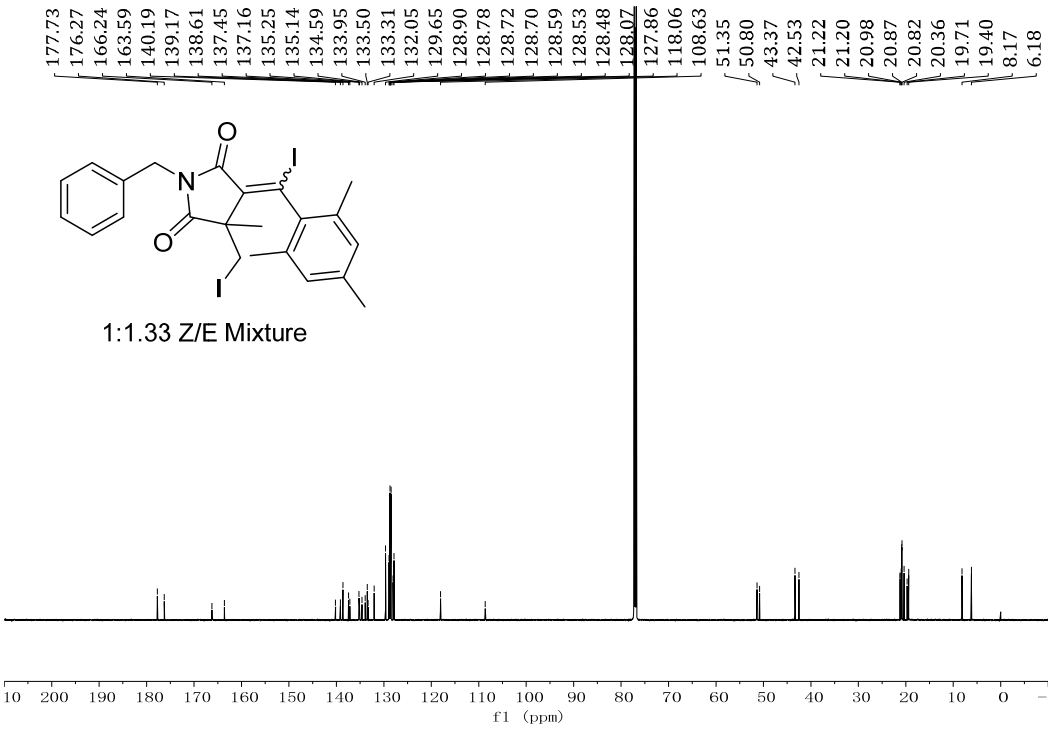
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3am**



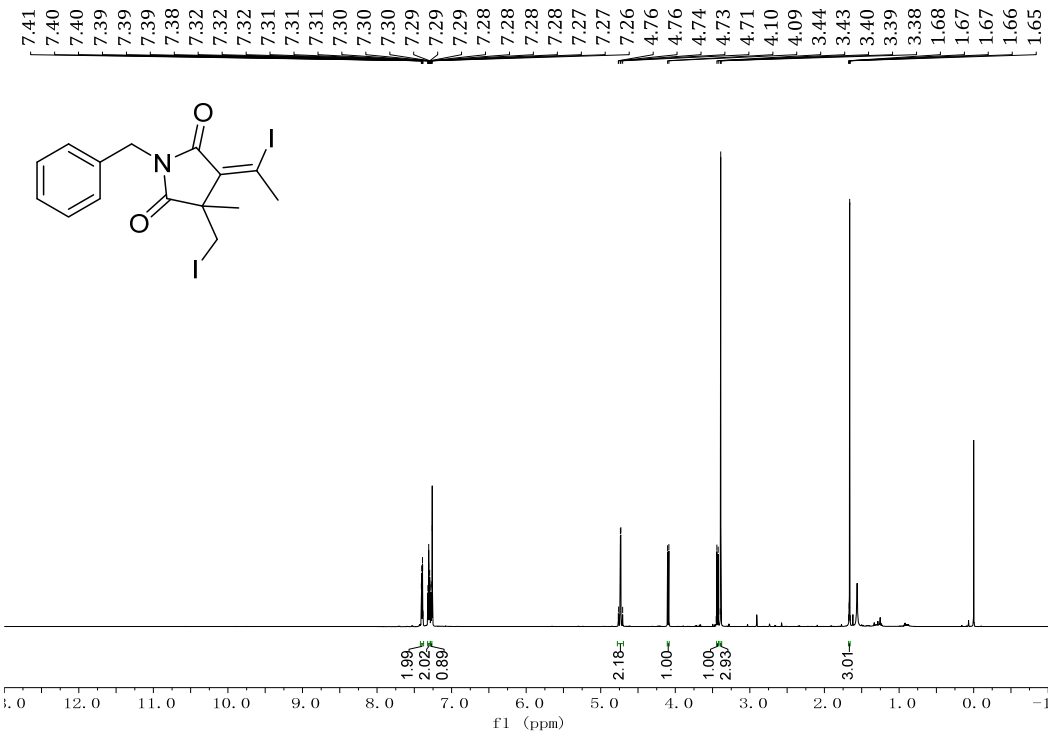


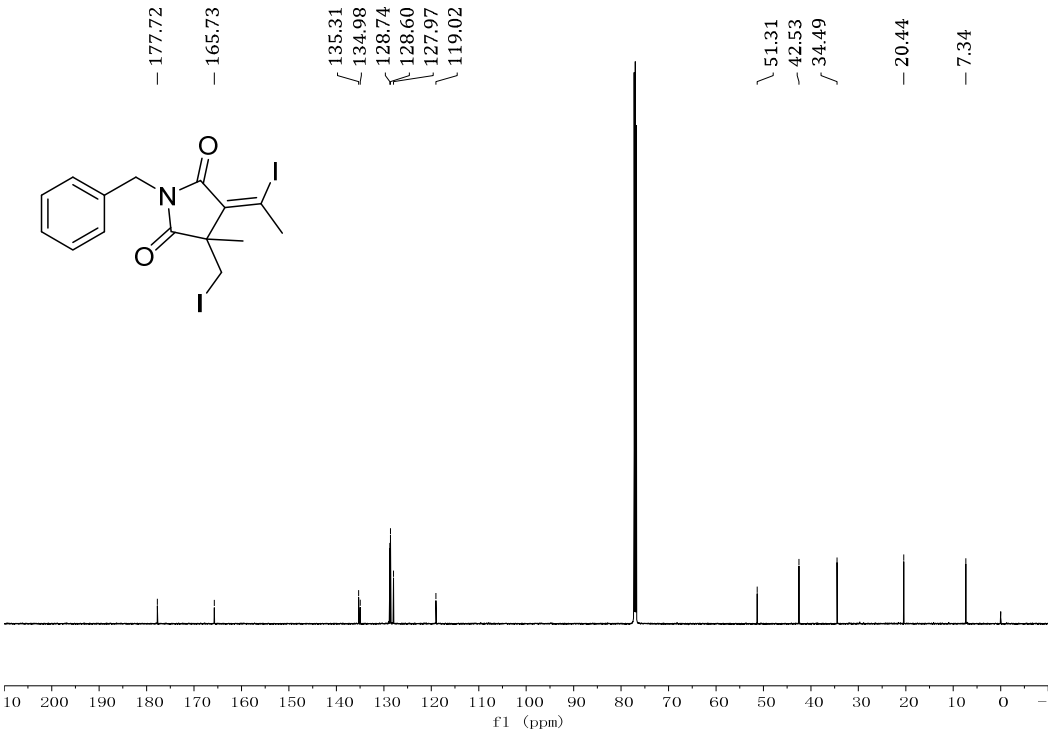
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3an**



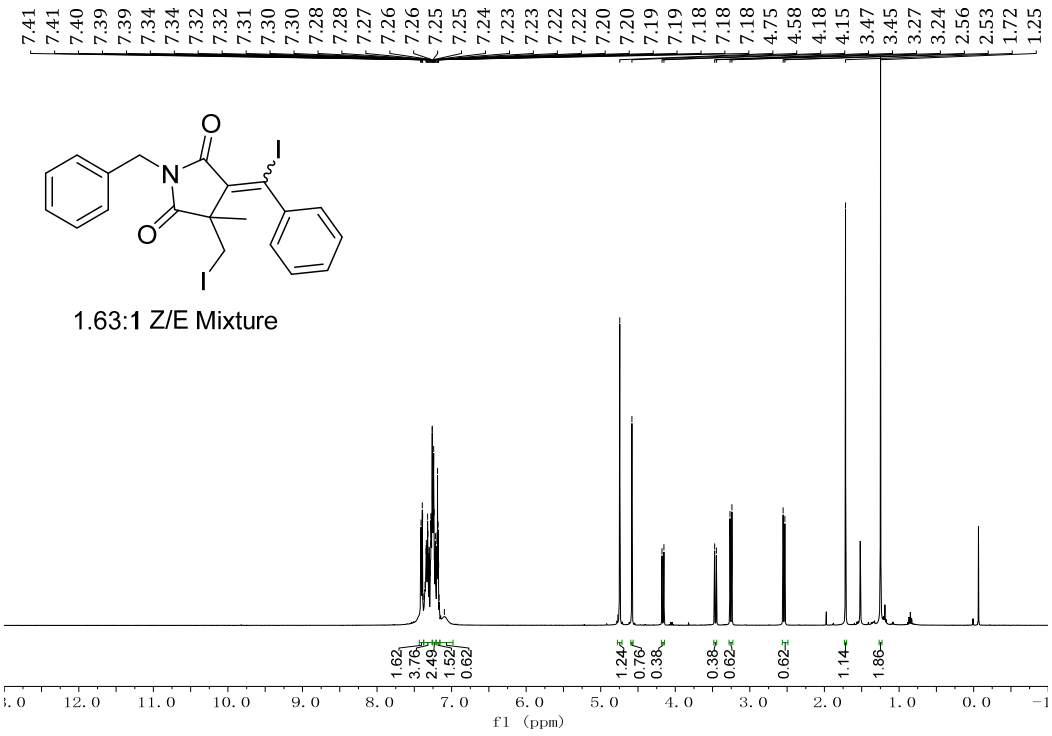


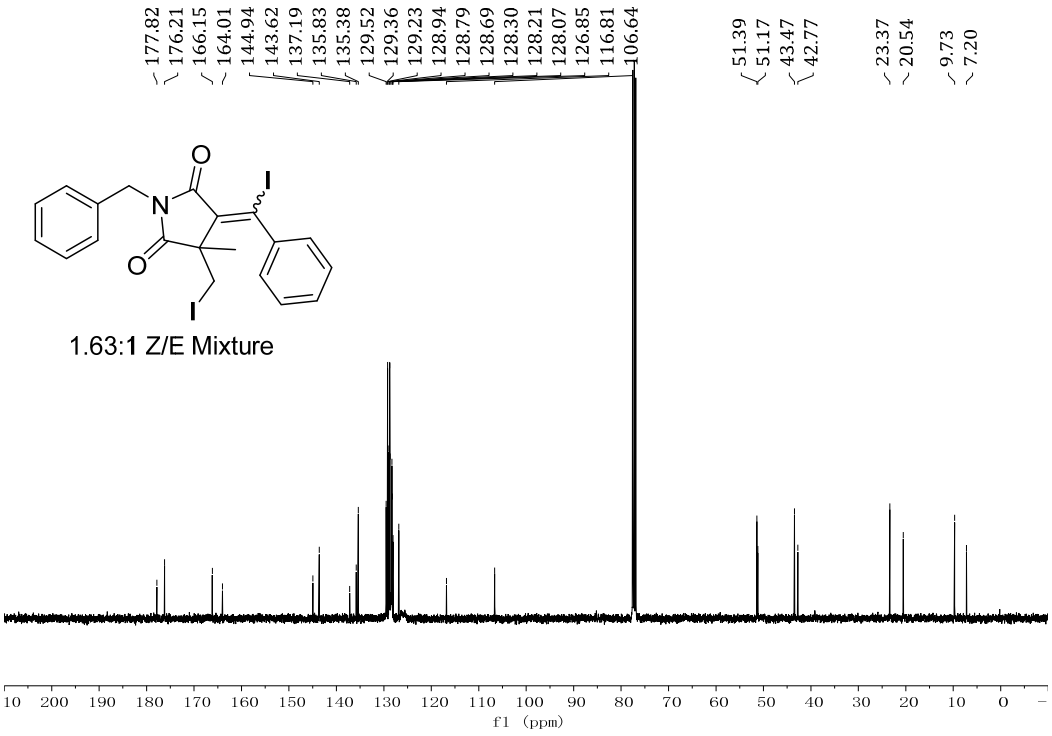
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3ao**



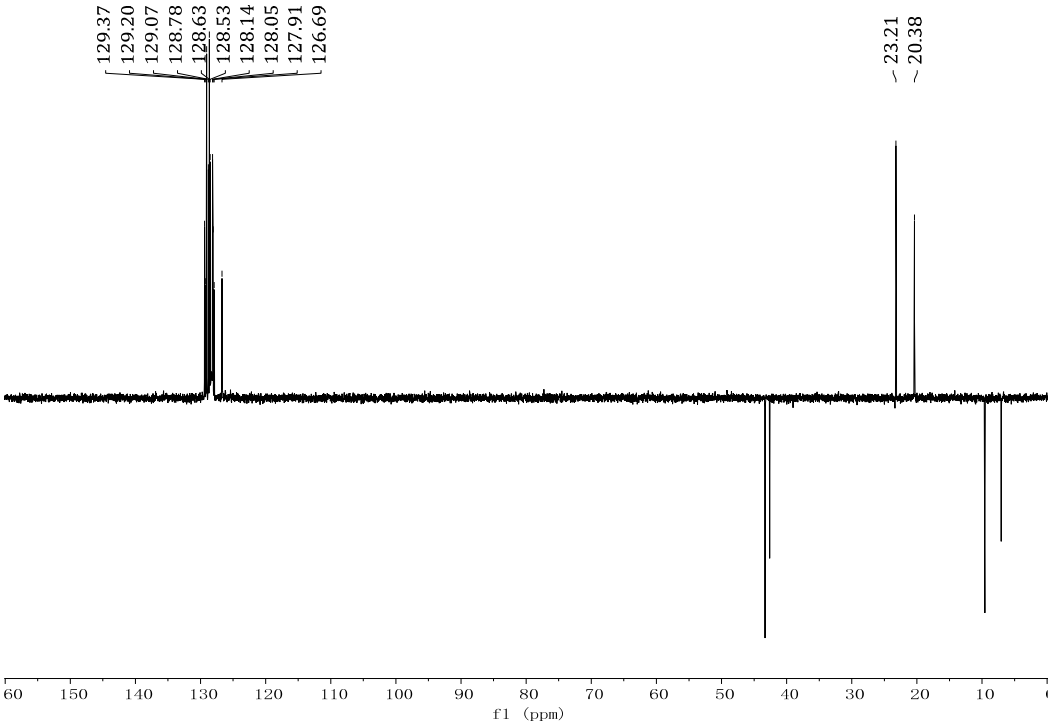


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3ba**

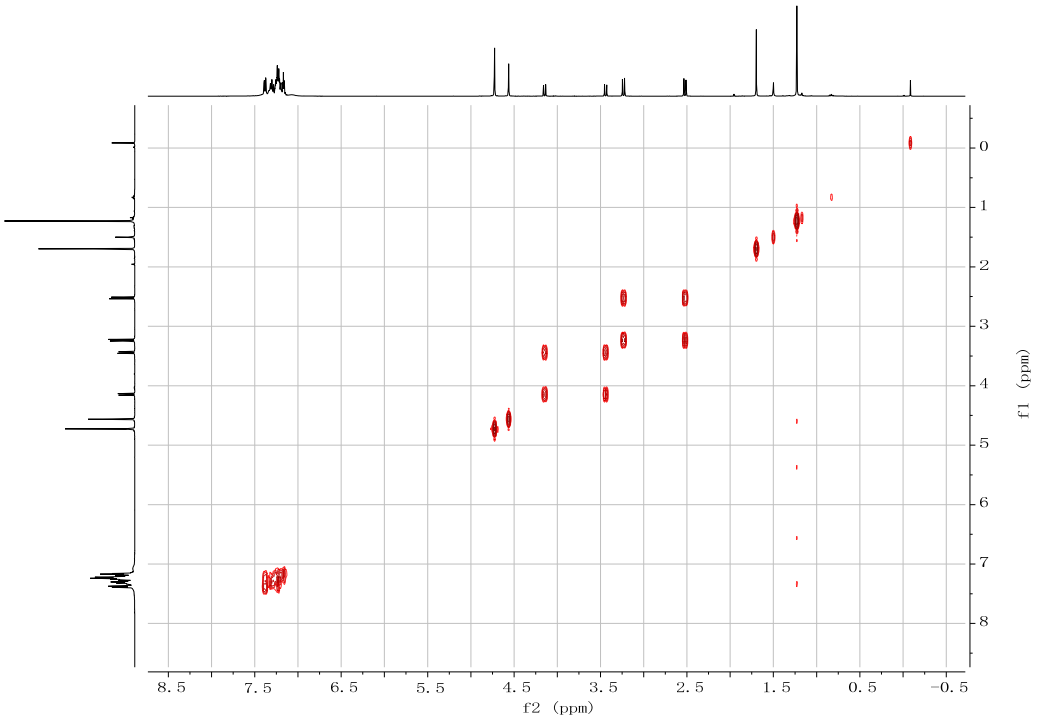




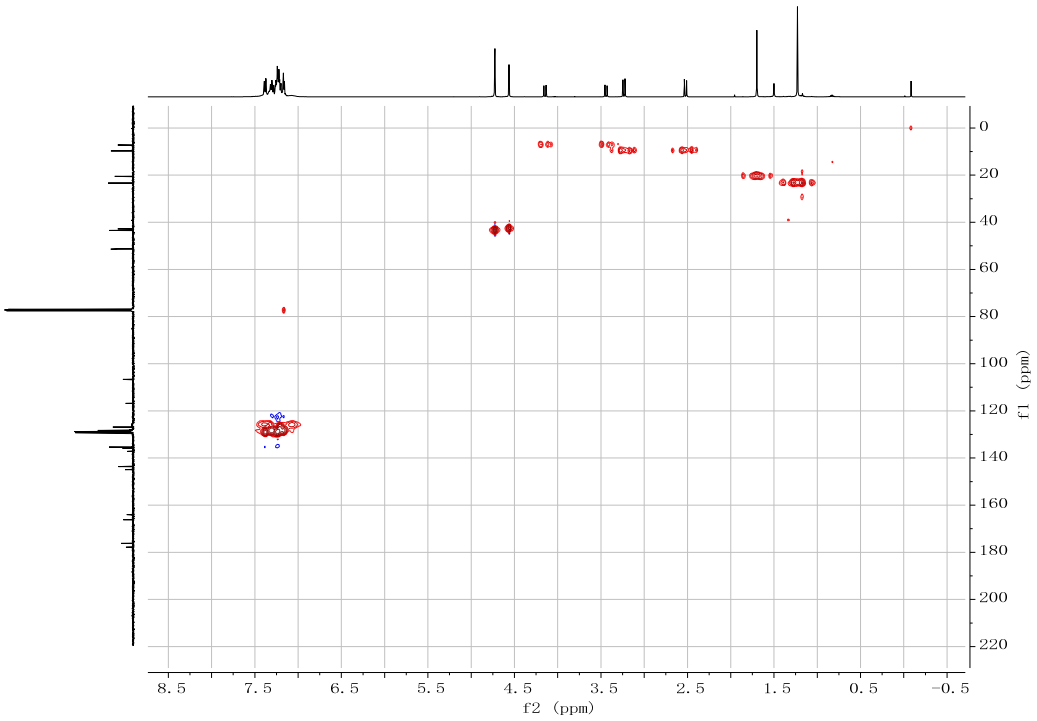
DEPT of compound **3ba** (400MHz, in $CDCl_3$)



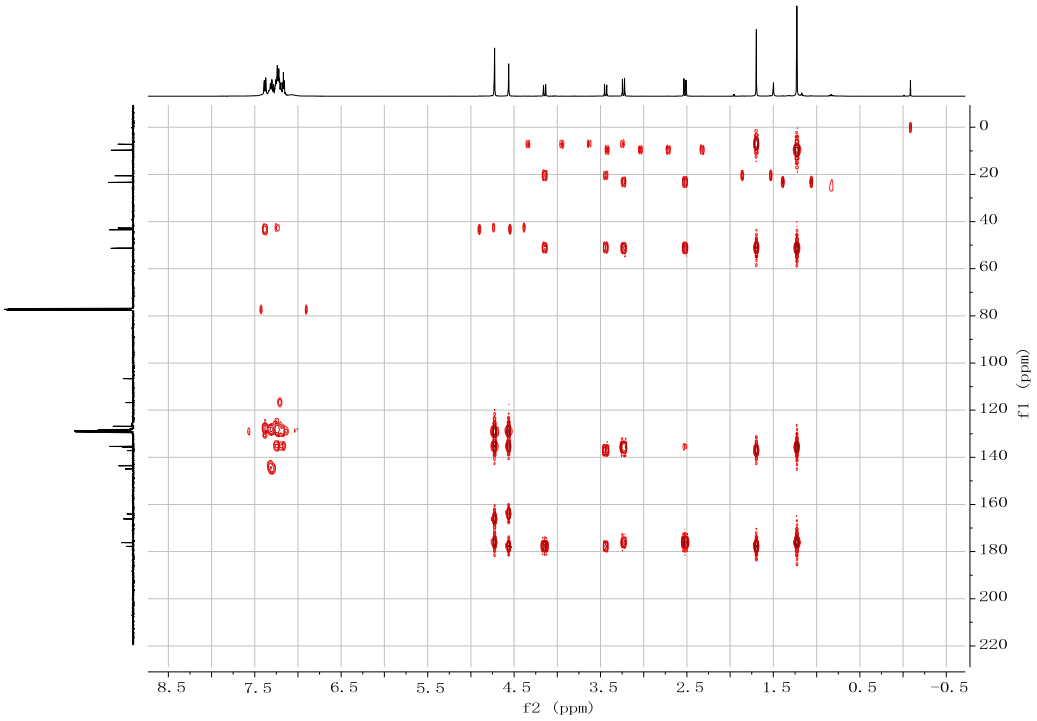
^1H-^1H COSY of compound **3ba** (400MHz, in $CDCl_3$)



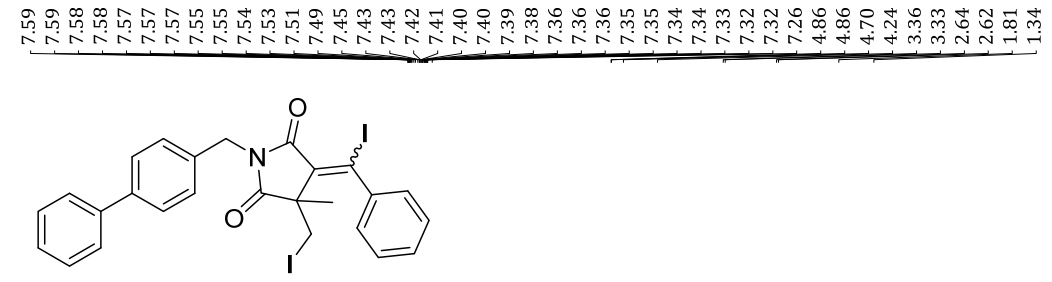
HMQC of compound **3ba** (400MHz, in $CDCl_3$)



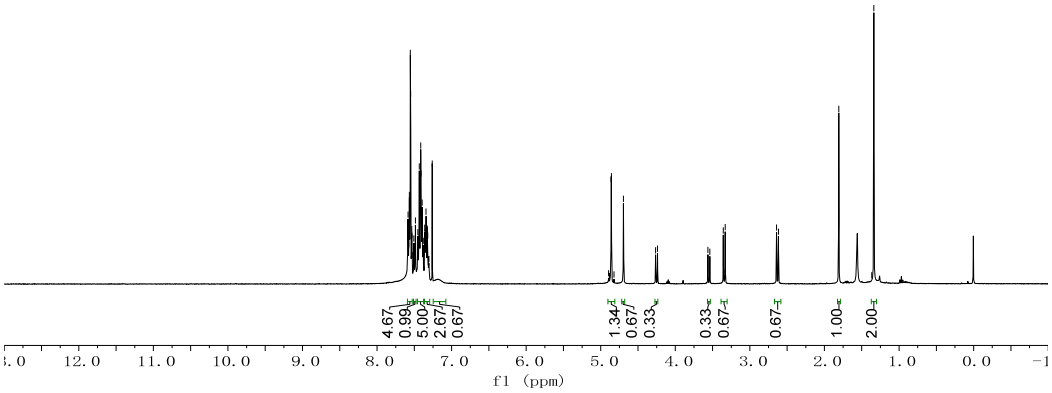
HMBC of compound **3ba** (400MHz, in $CDCl_3$)

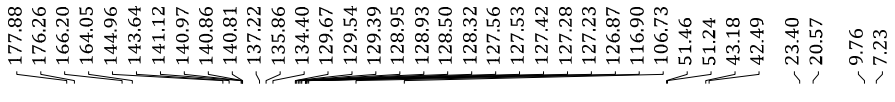


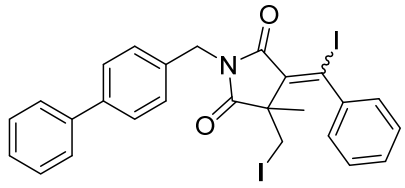
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bb**



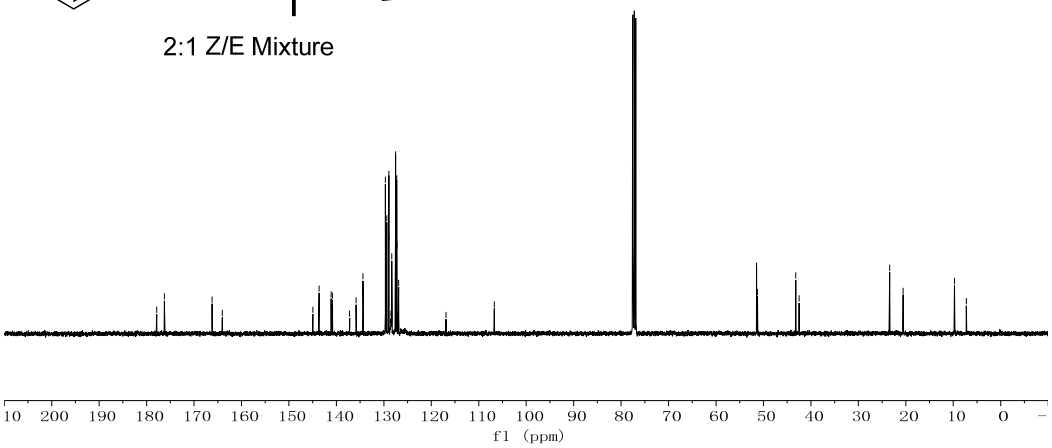
2:1 Z/E Mixture



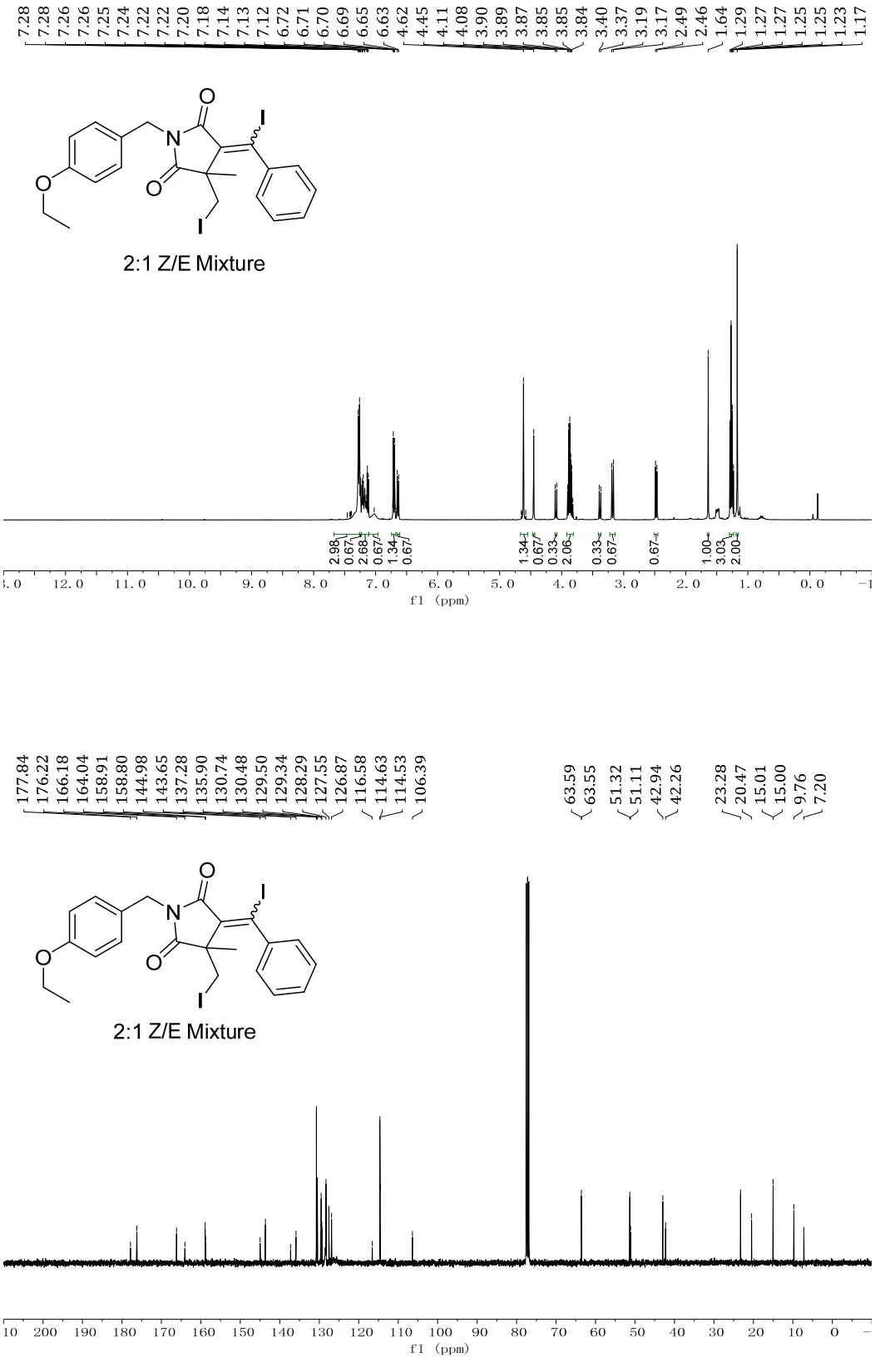




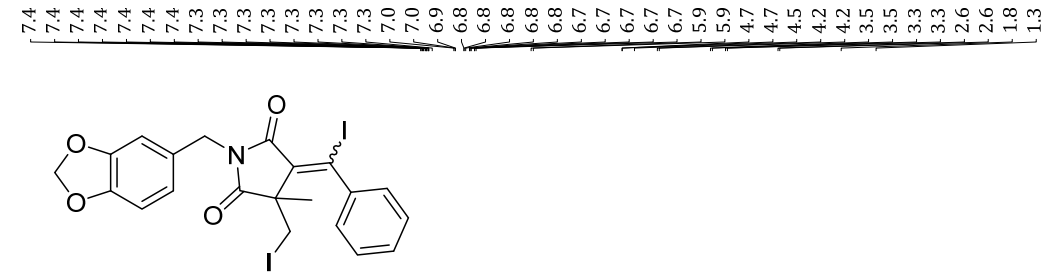
2:1 Z/E Mixture



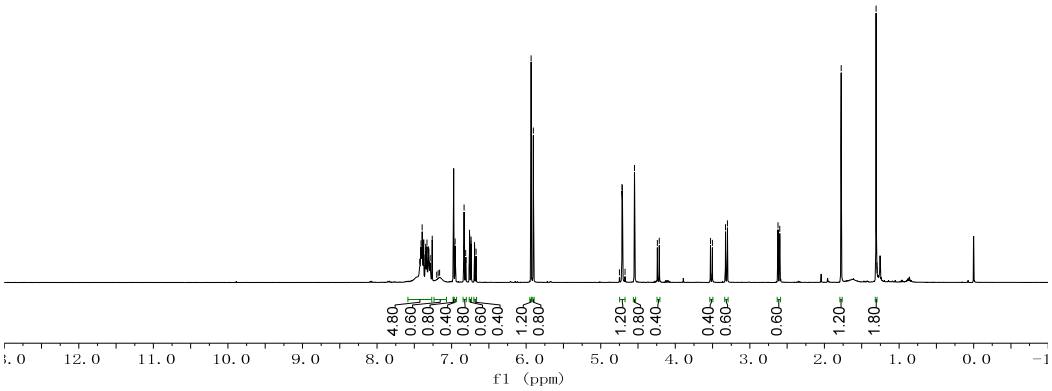
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bc**

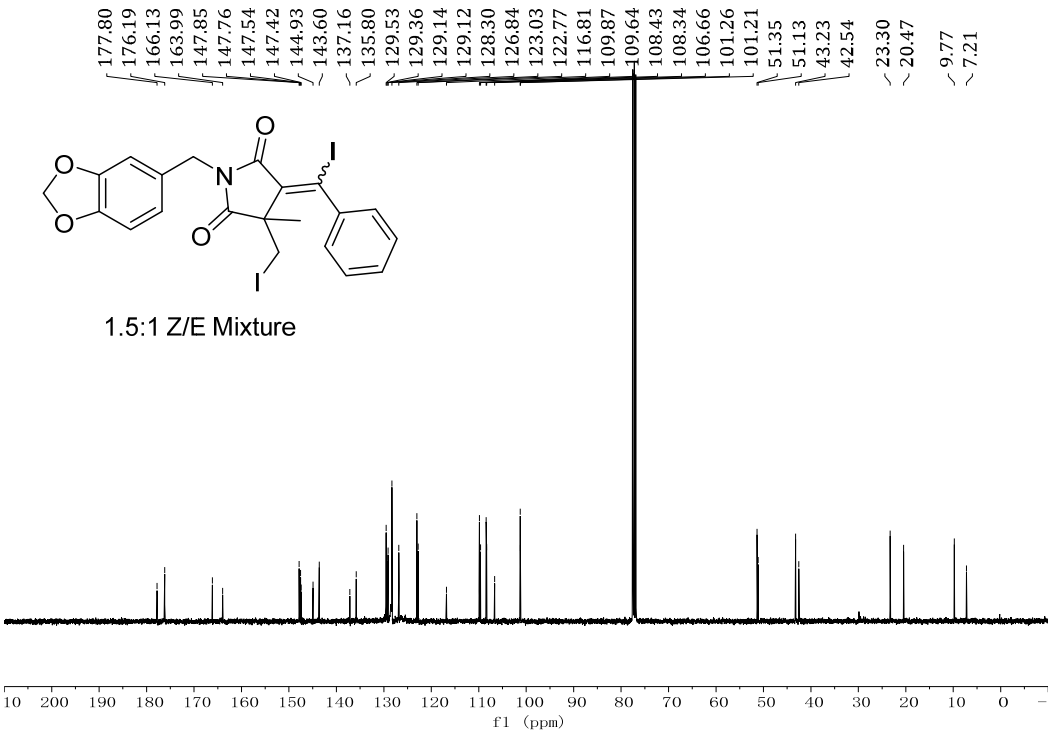


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bd**



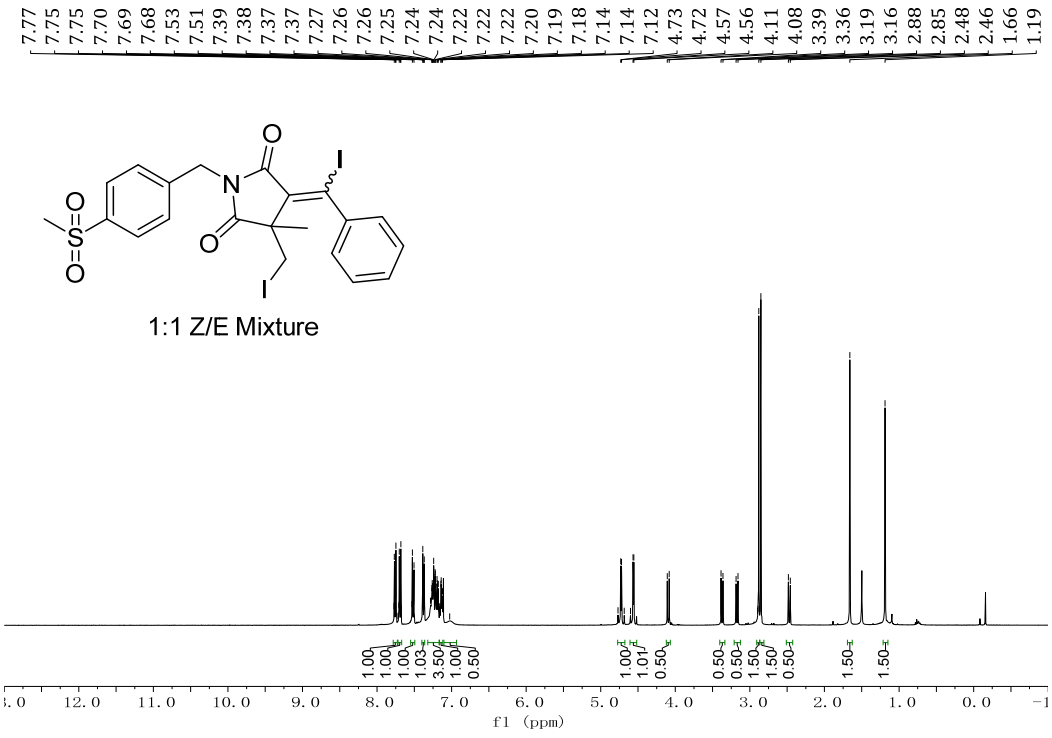
1.5:1 Z/E Mixture

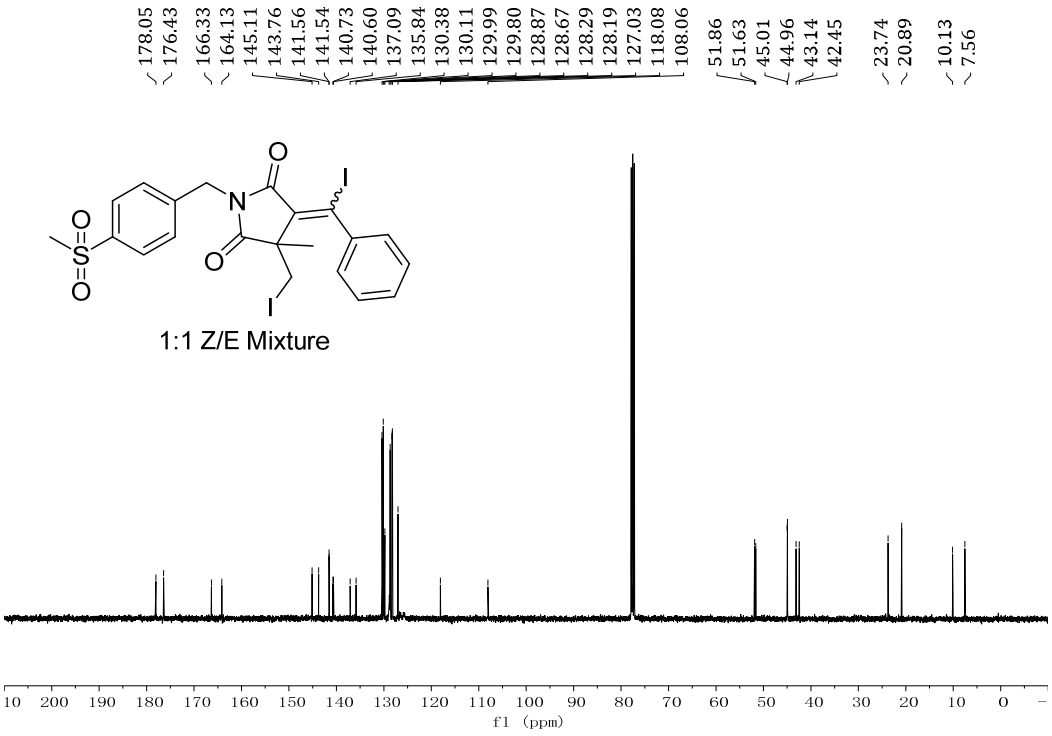




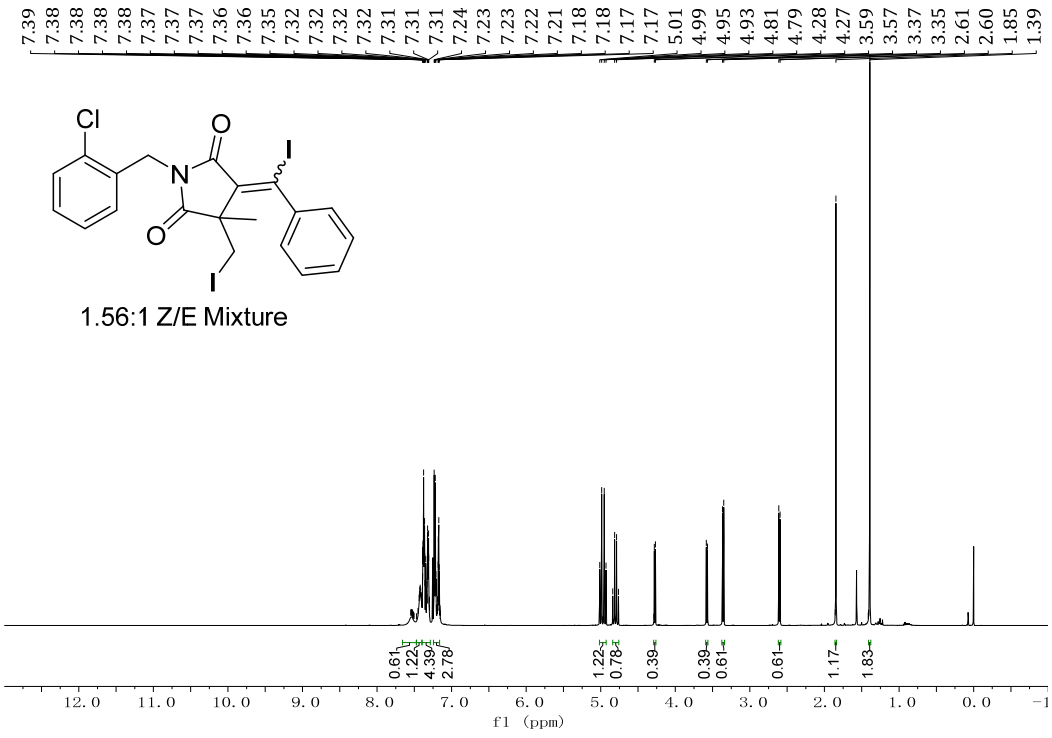
1.5:1 Z/E Mixture

^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3be**

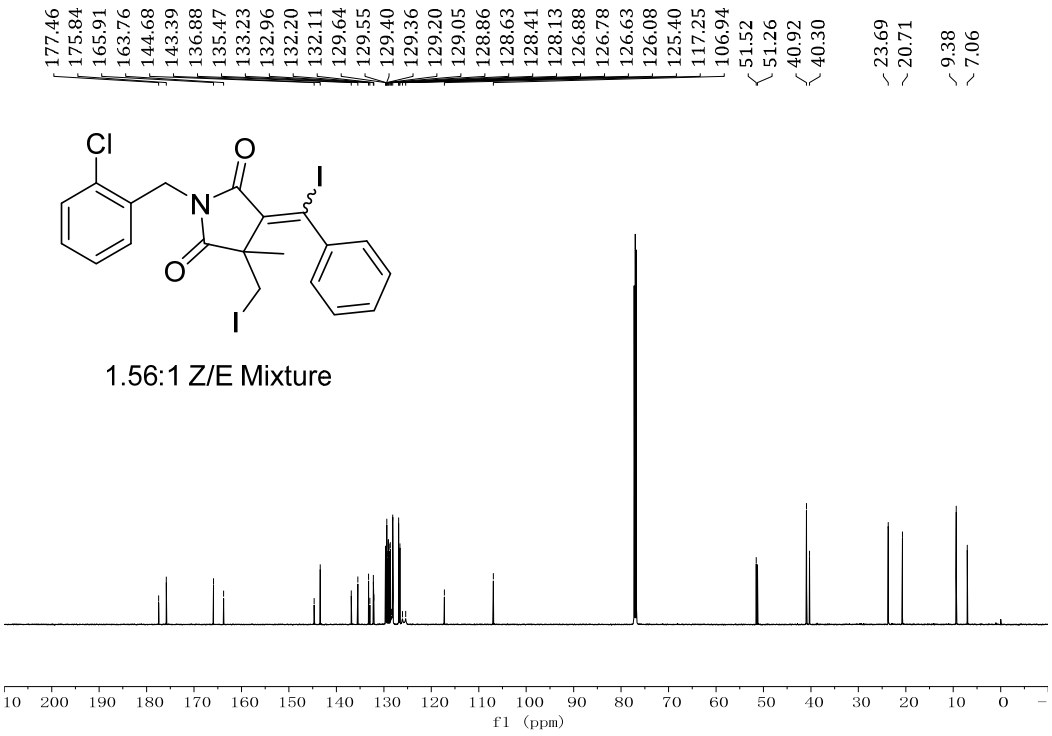




^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3bf**

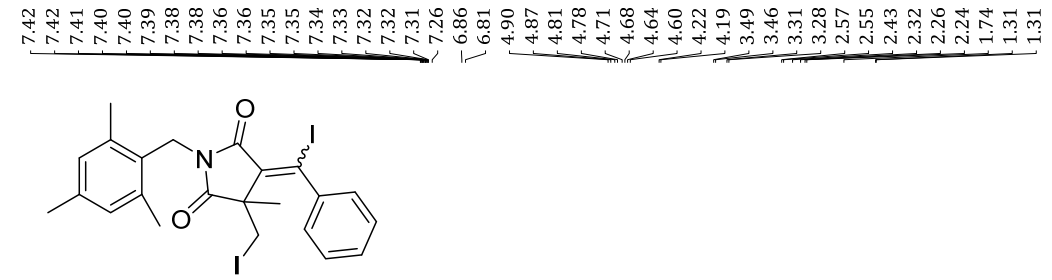


1.56:1 Z/E Mixture

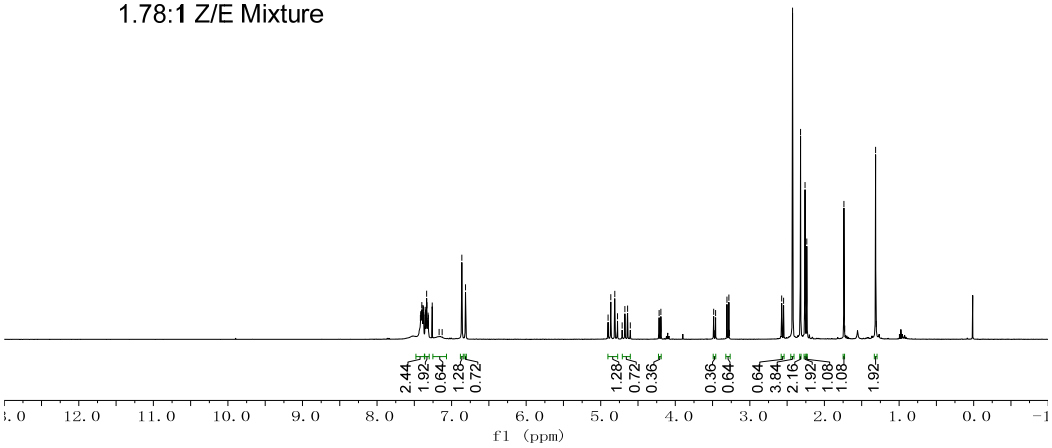


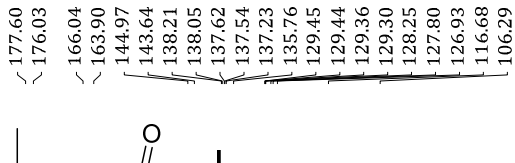
1.56:1 Z/E Mixture

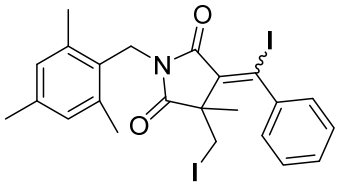
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bg**



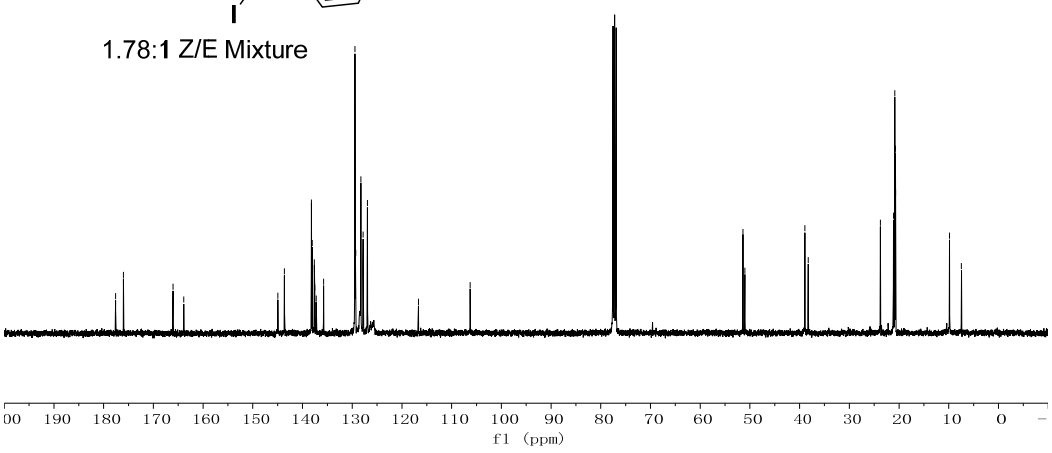
1.78:**1** Z/E Mixture



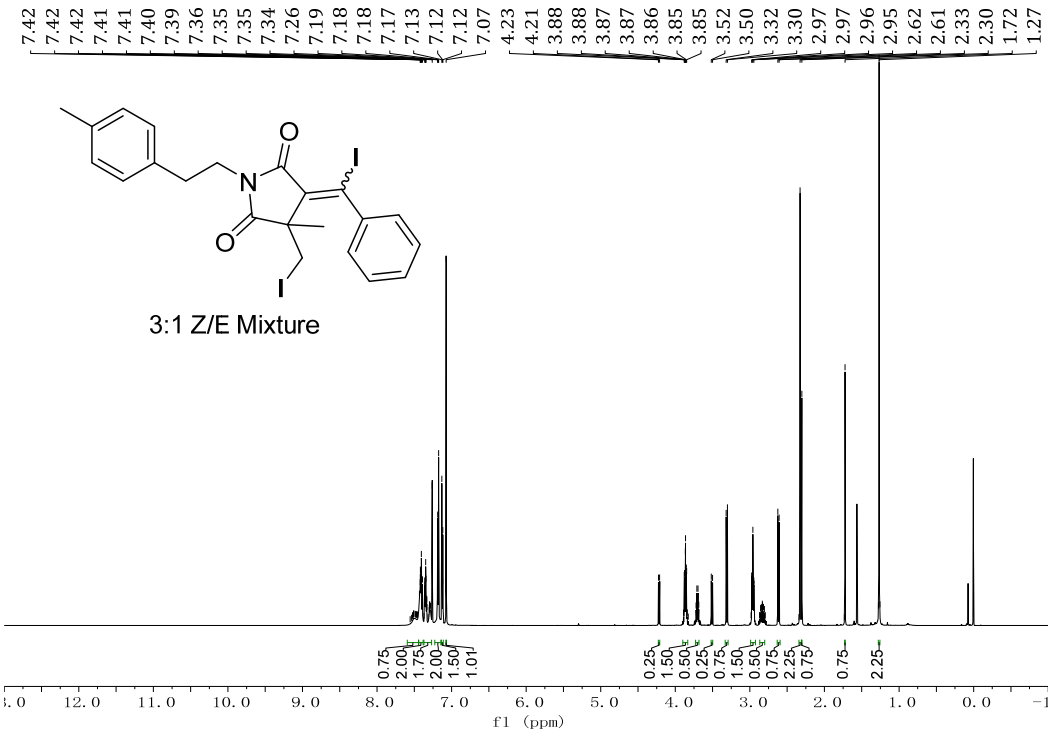


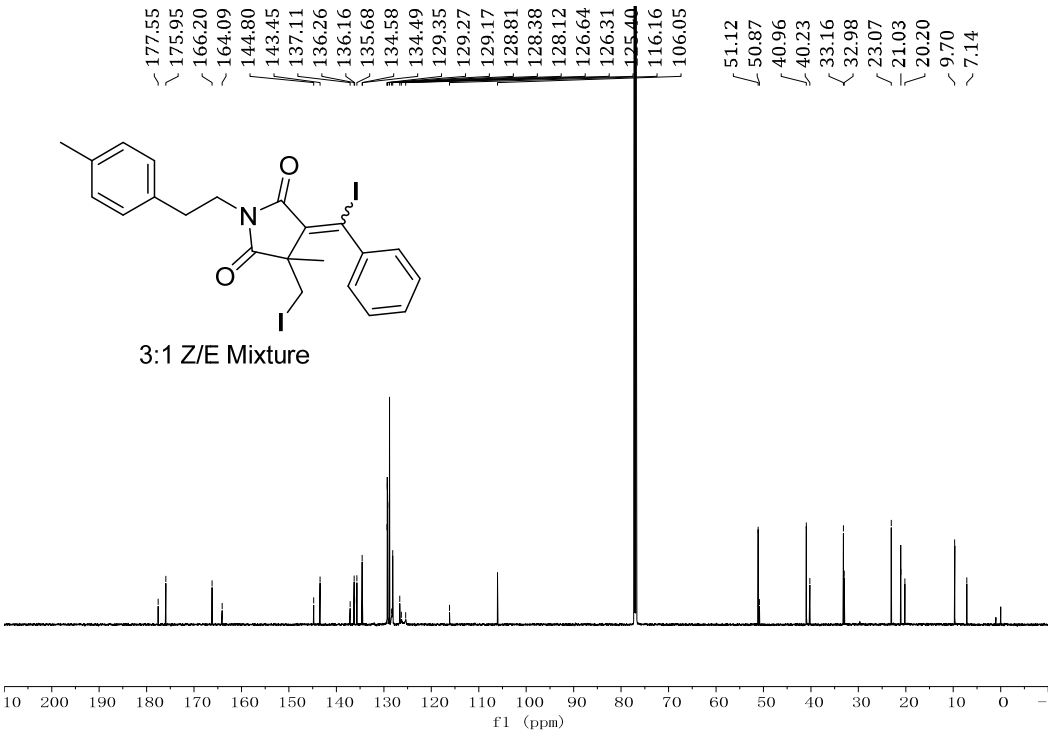


1.78:**1** Z/E Mixture

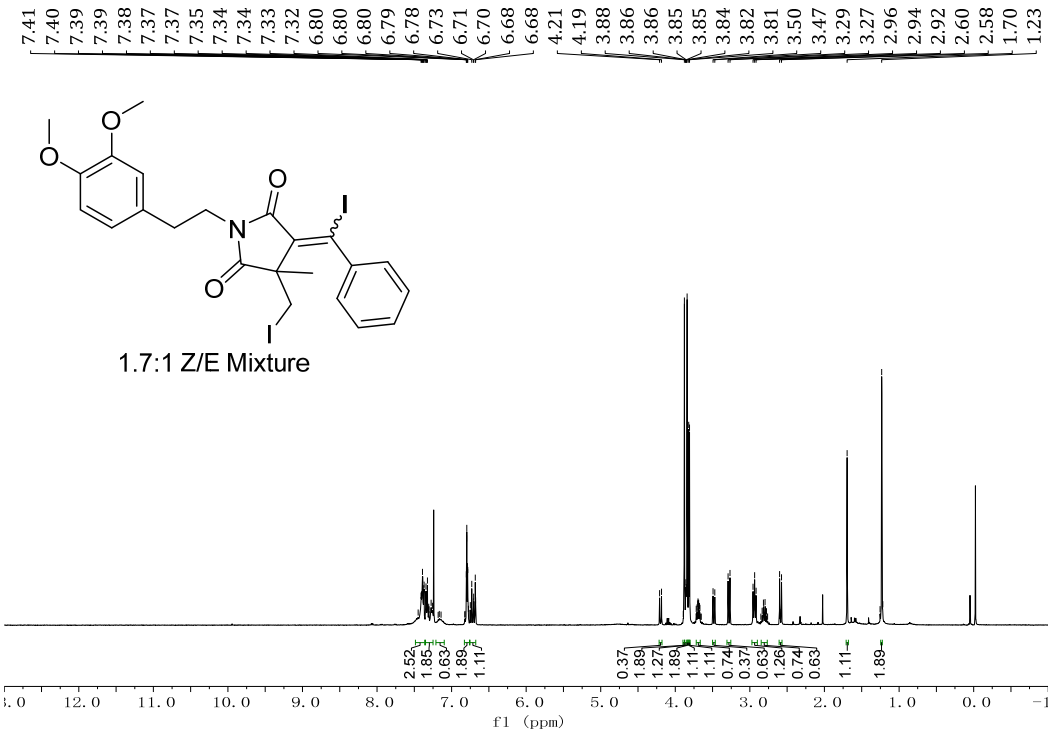


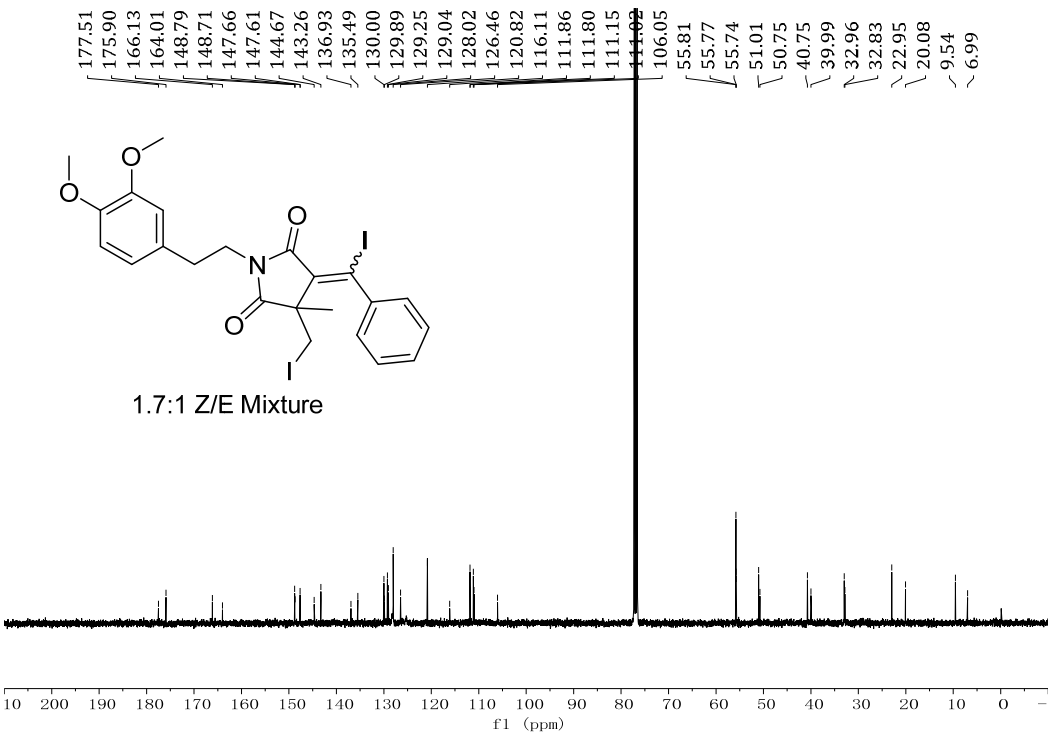
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3bh**



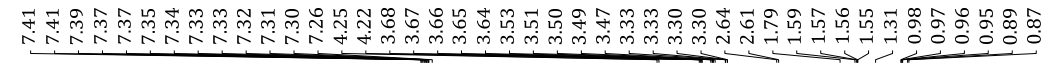


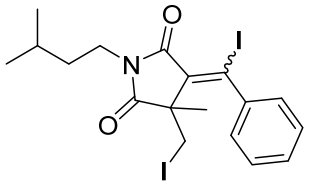
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bi**



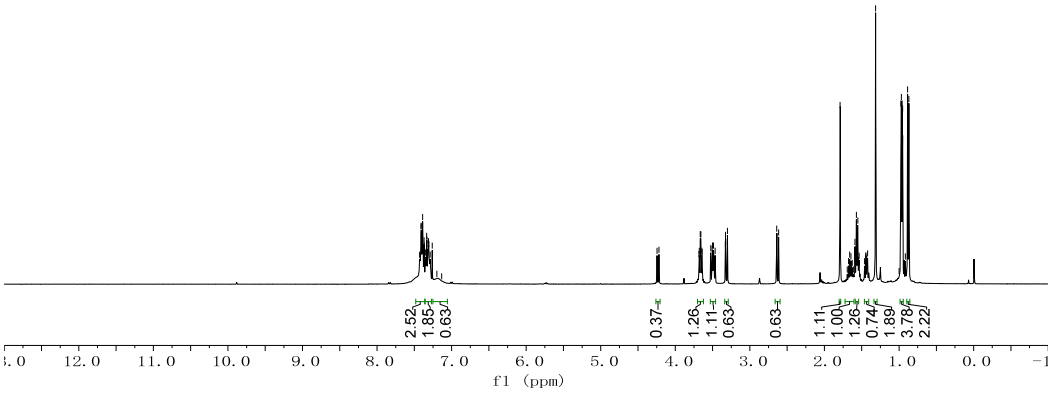


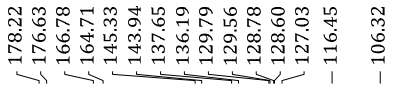
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bj**

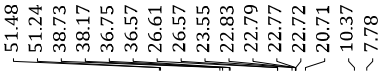


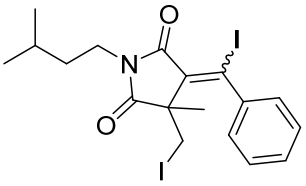


1.7:1 Z/E Mixture

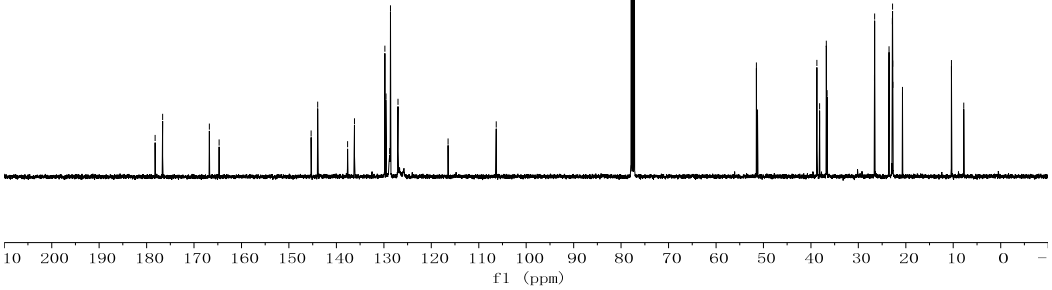




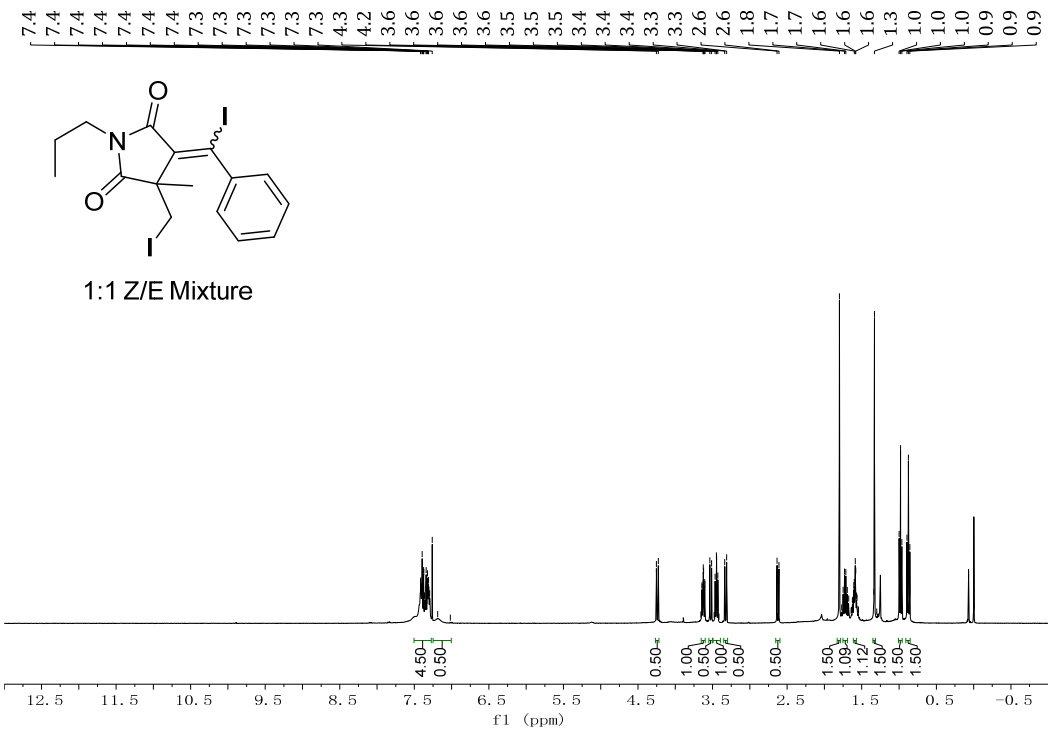


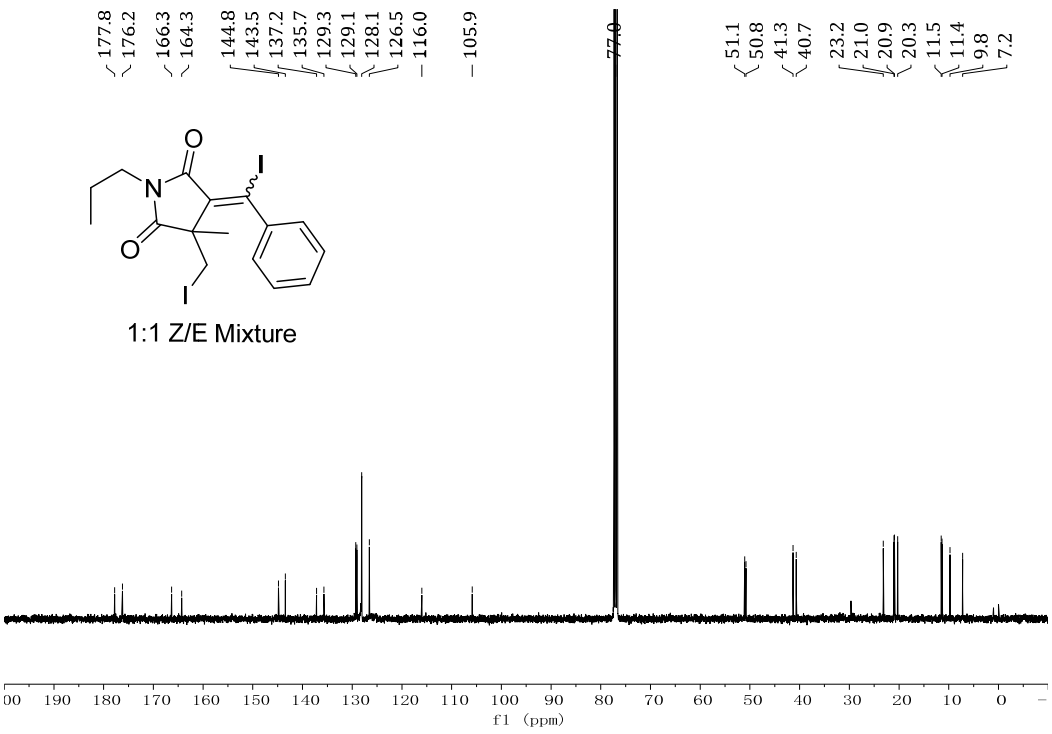


1.7:1 Z/E Mixture

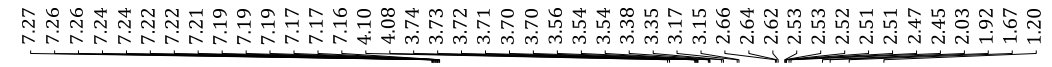


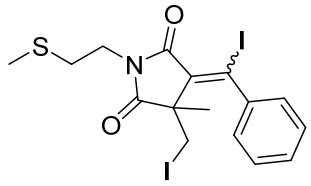
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bk**



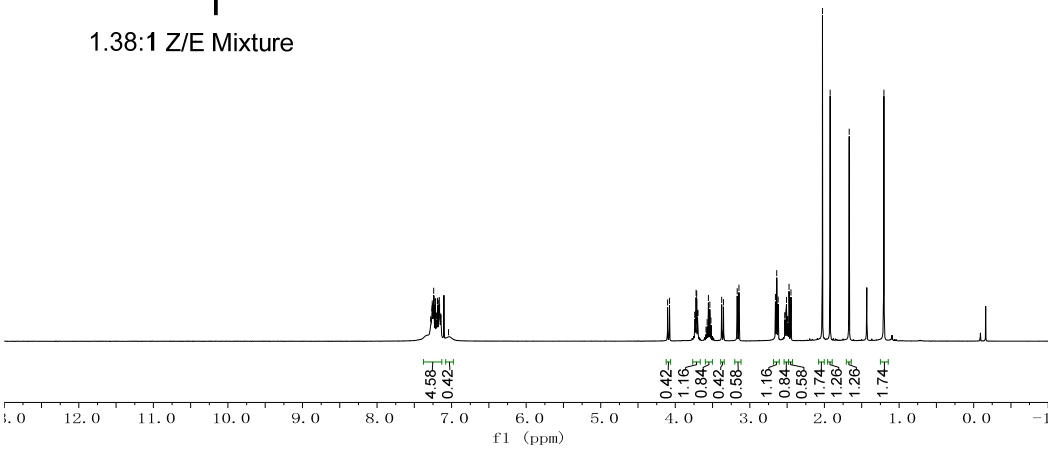


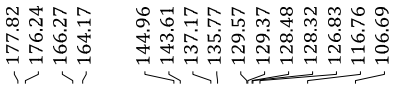
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bl**

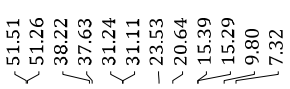


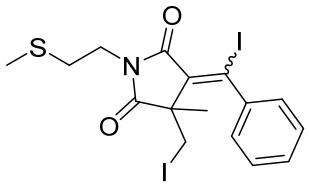


1.38:**1** Z/E Mixture



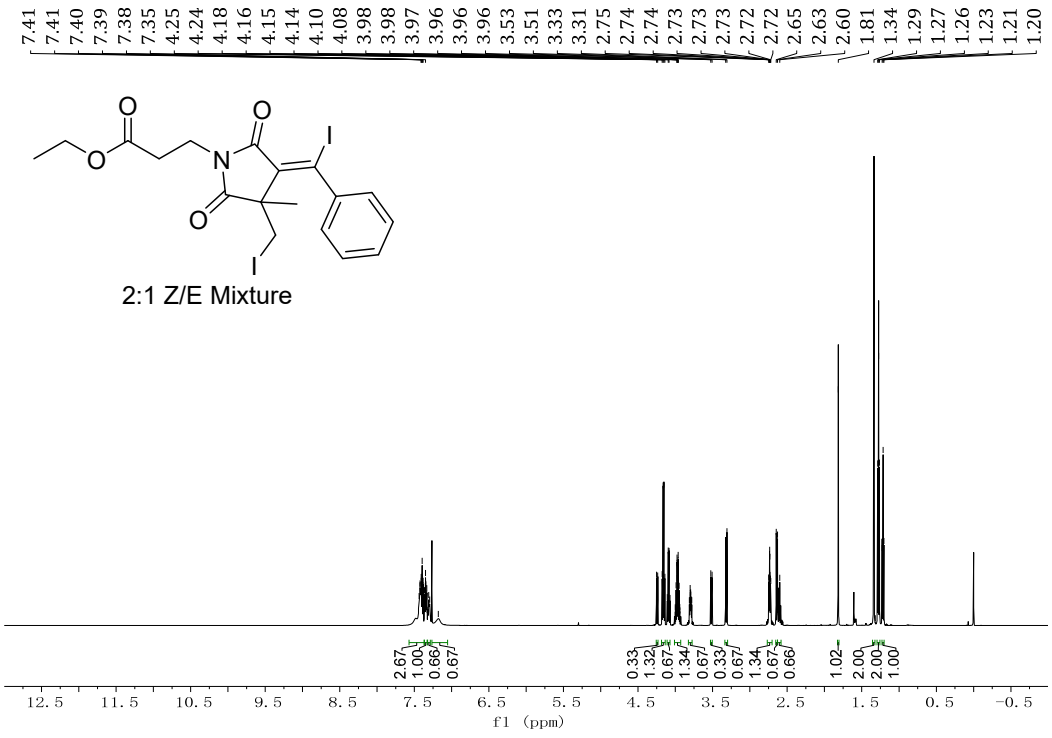




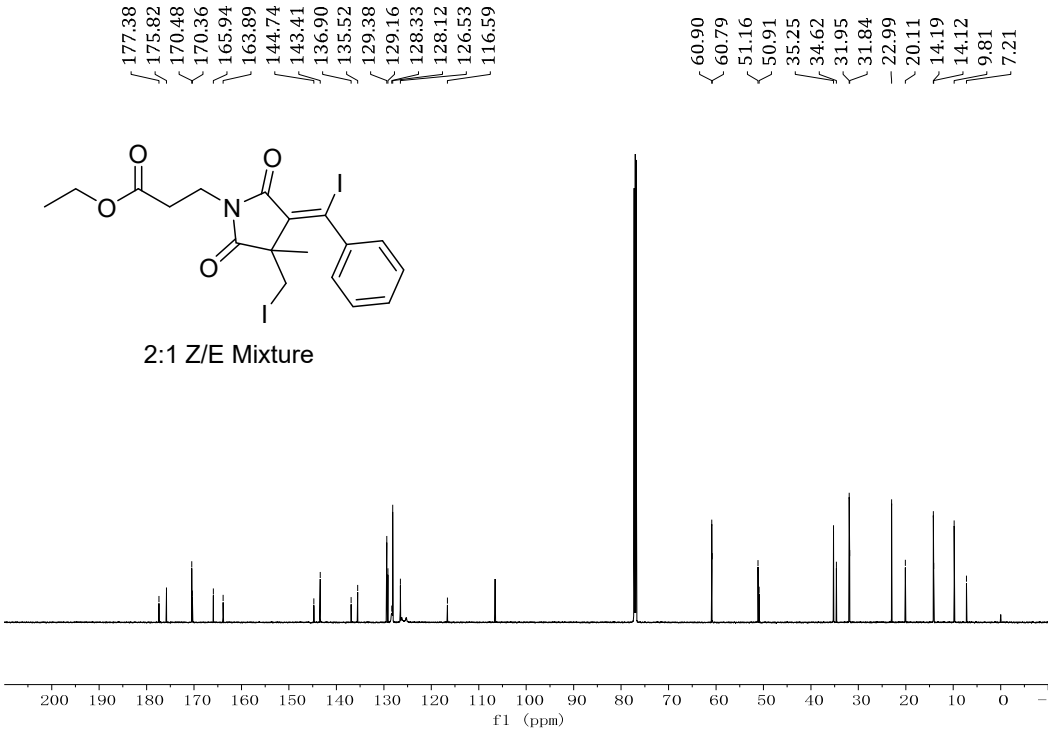


1.38:**1** Z/E Mixture

^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3bm**

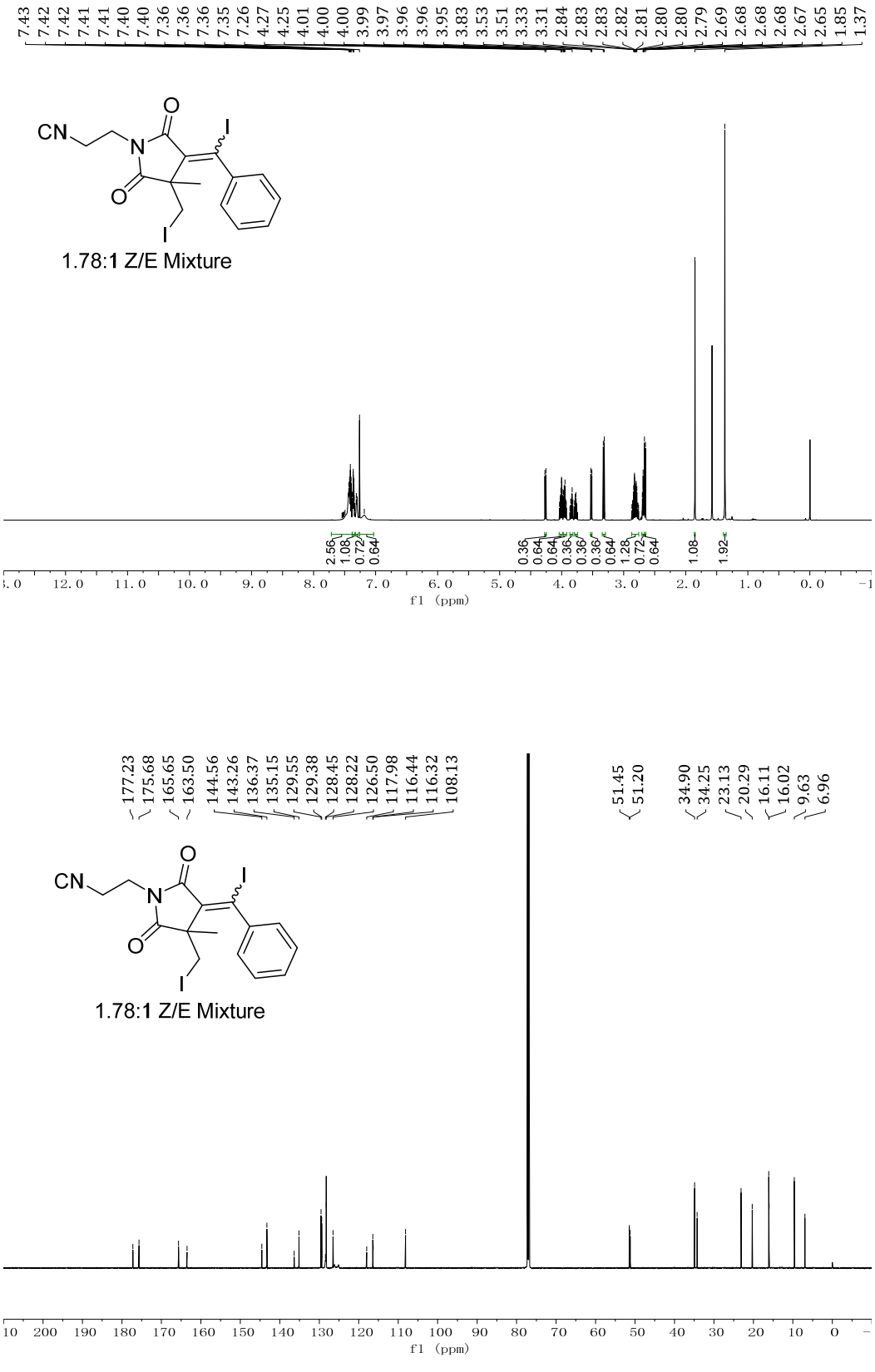


2:1 Z/E Mixture

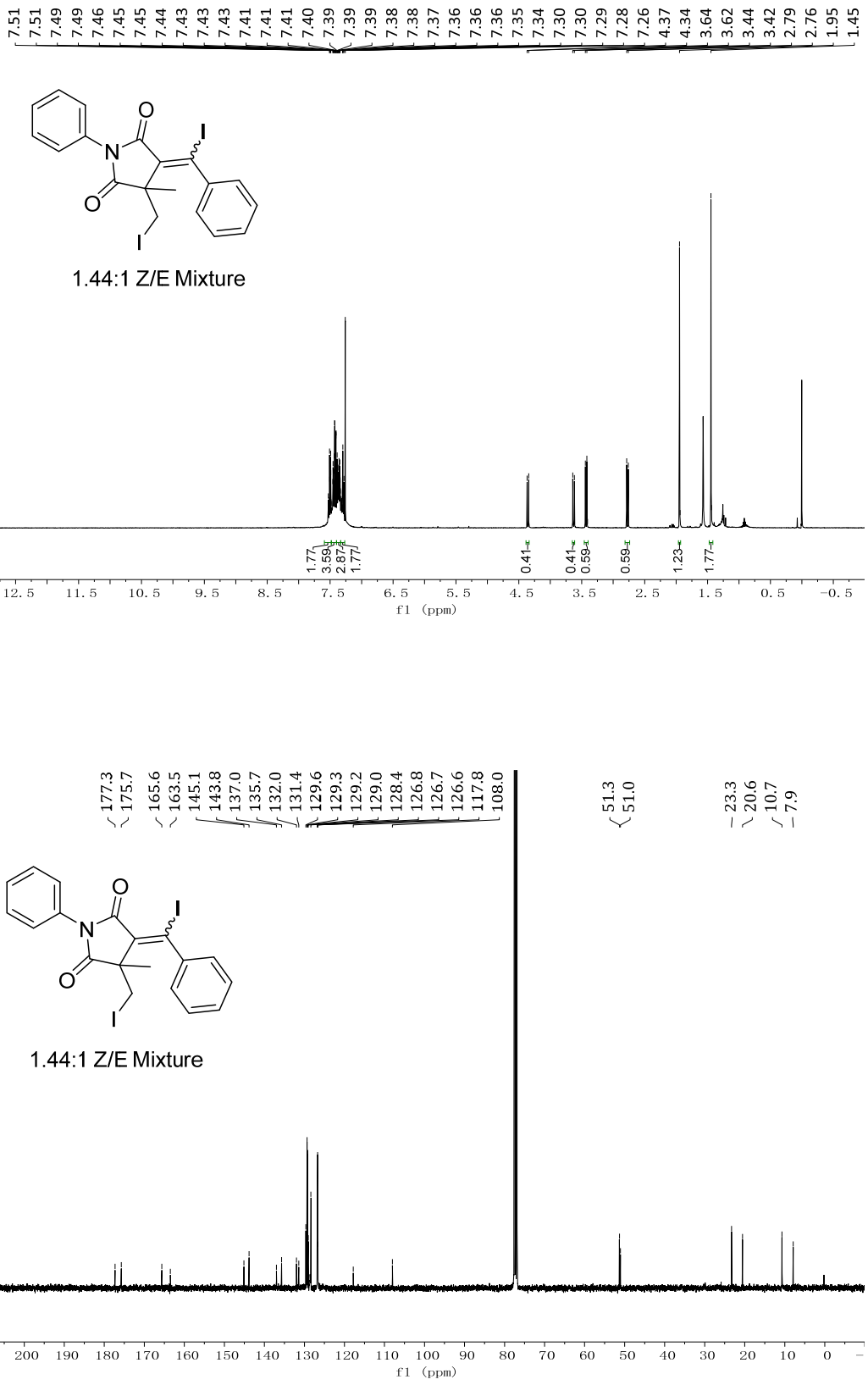


2:1 Z/E Mixture

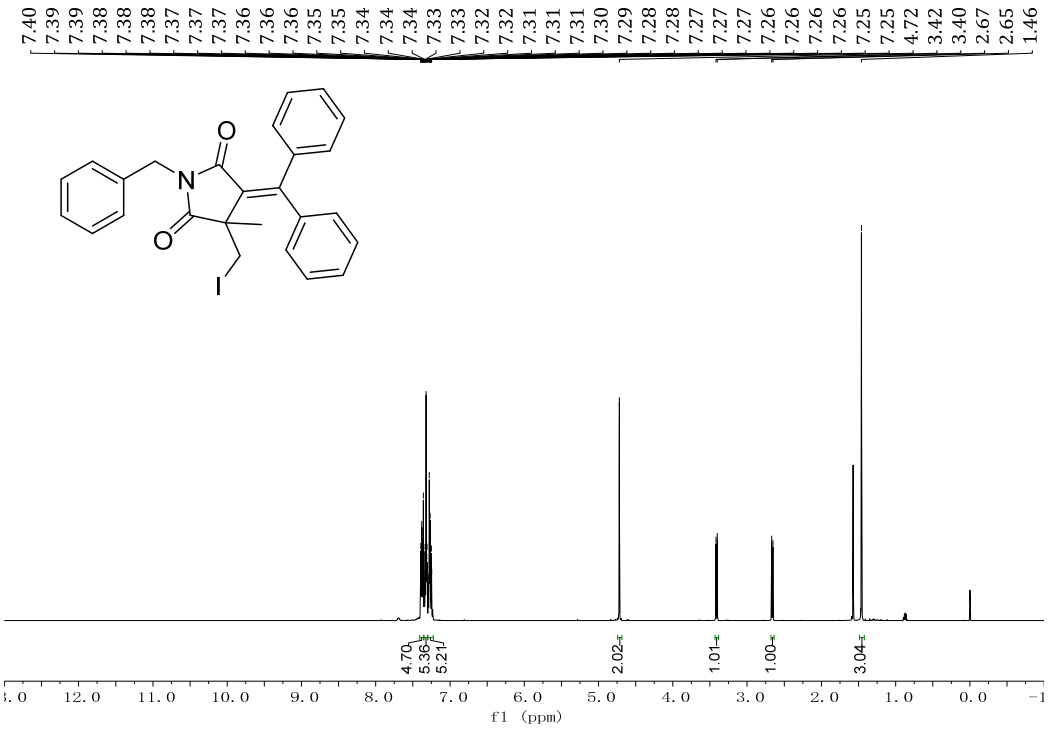
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **3bn**

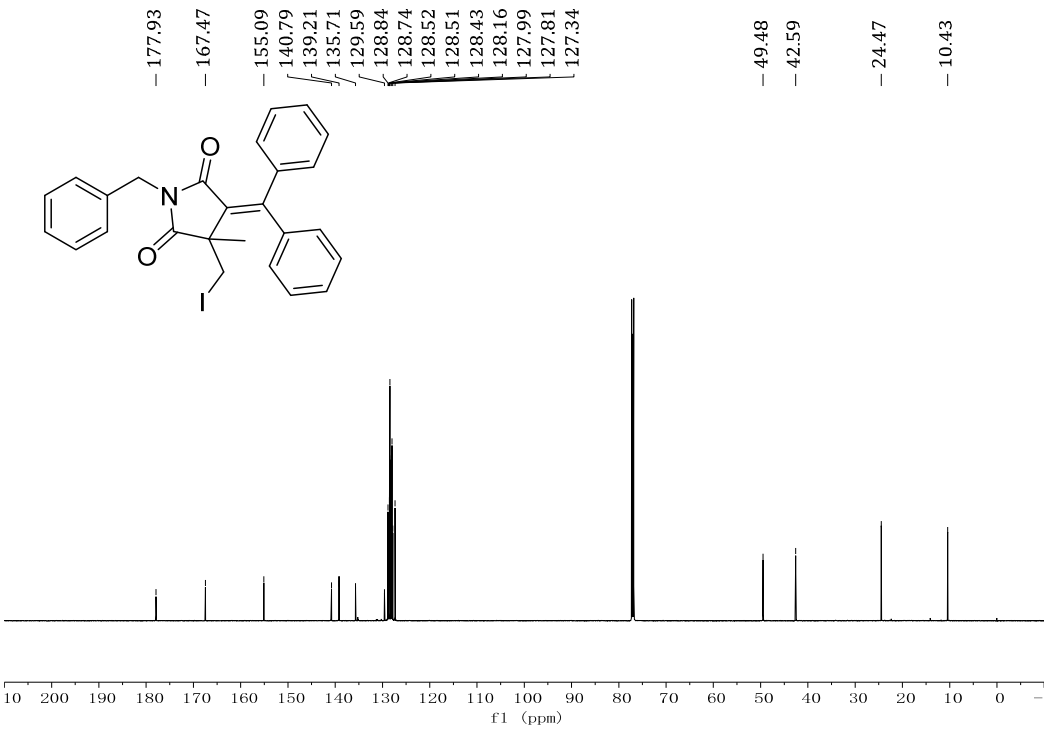


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of **3bo**

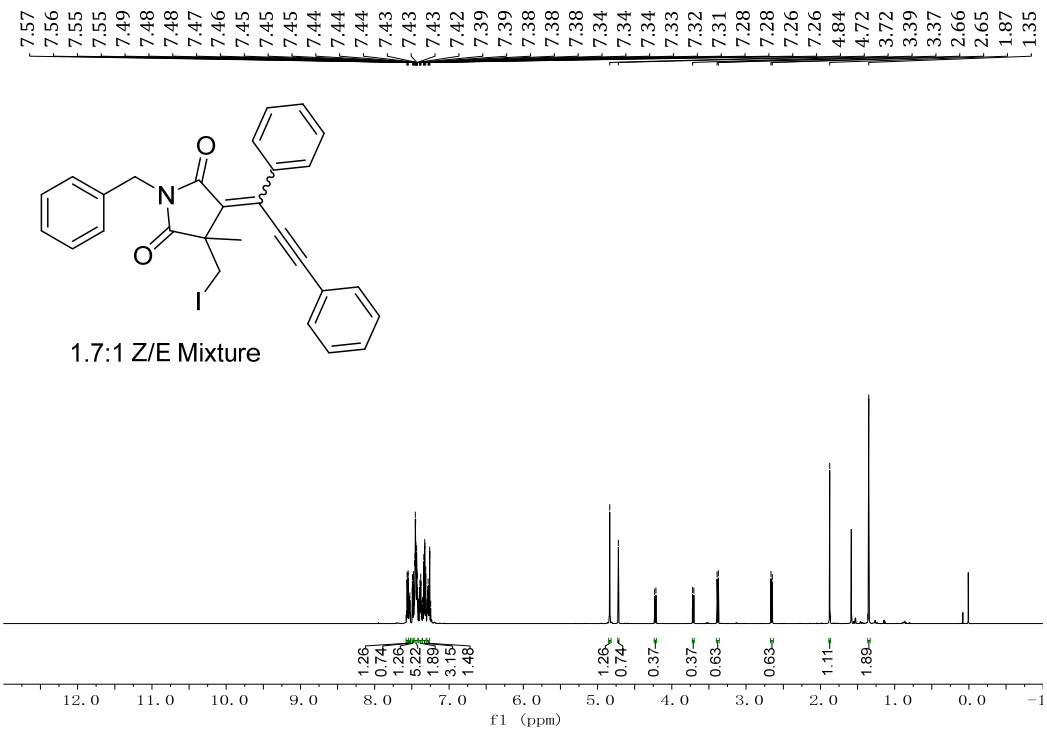


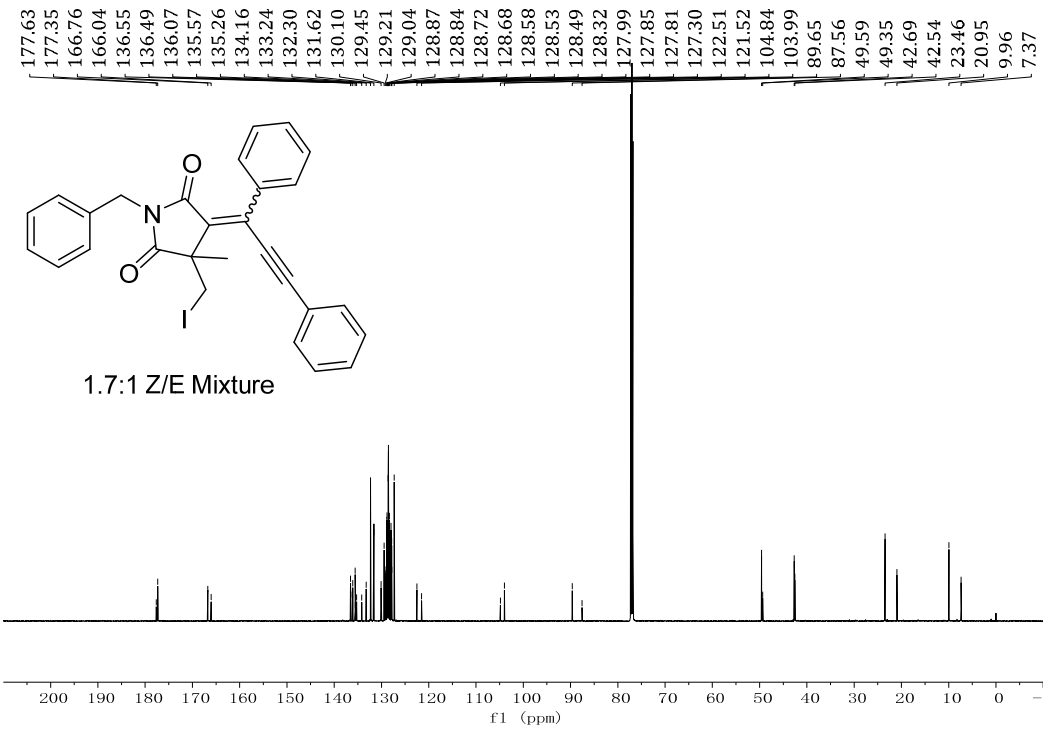
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **4**



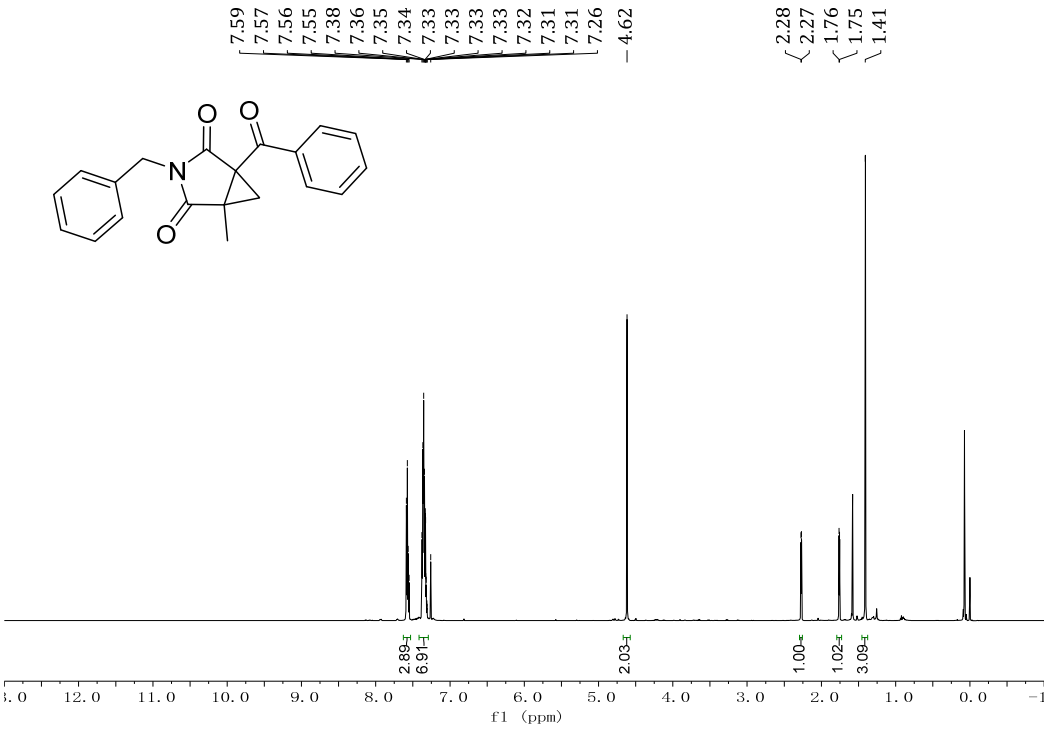


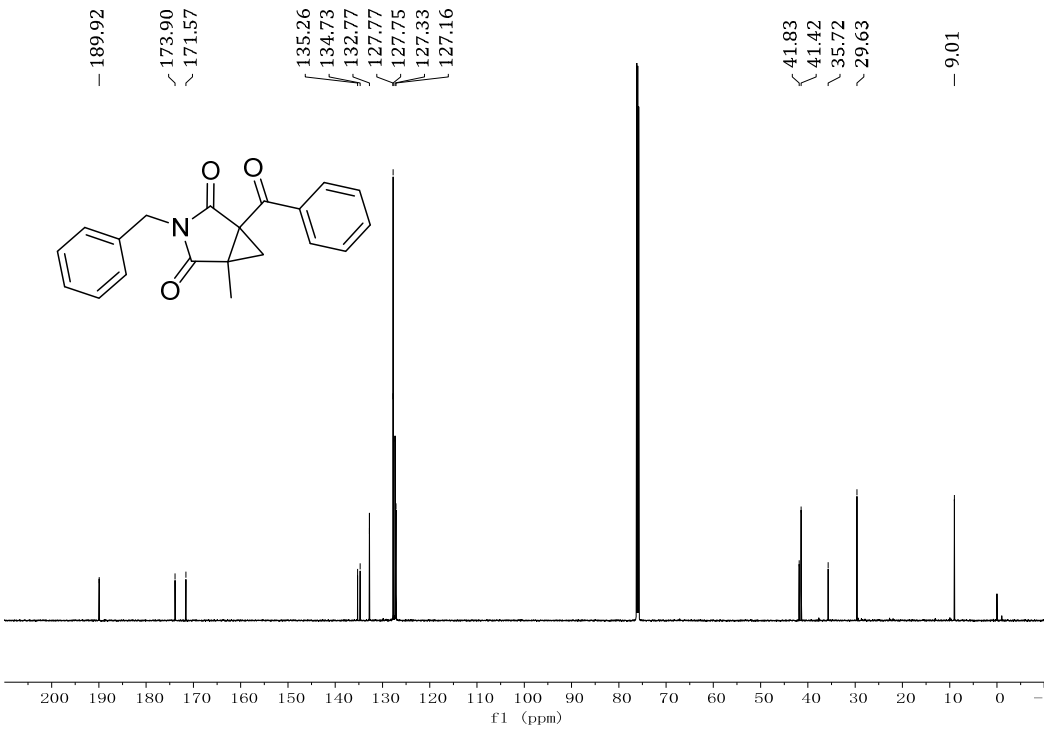
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **5**



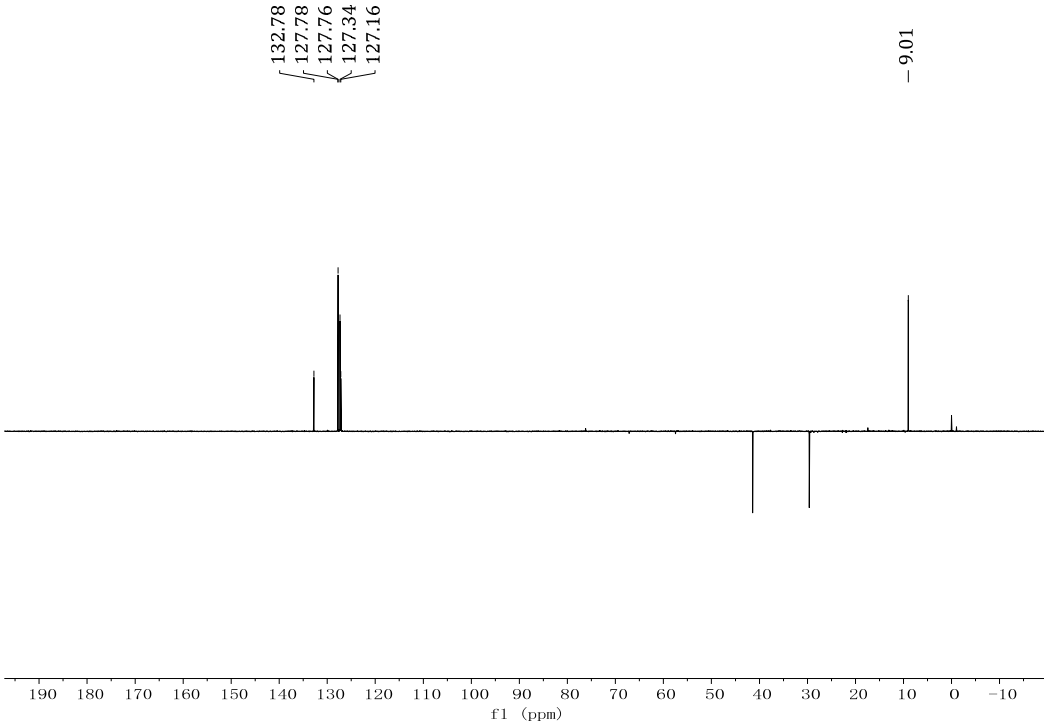


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **6**

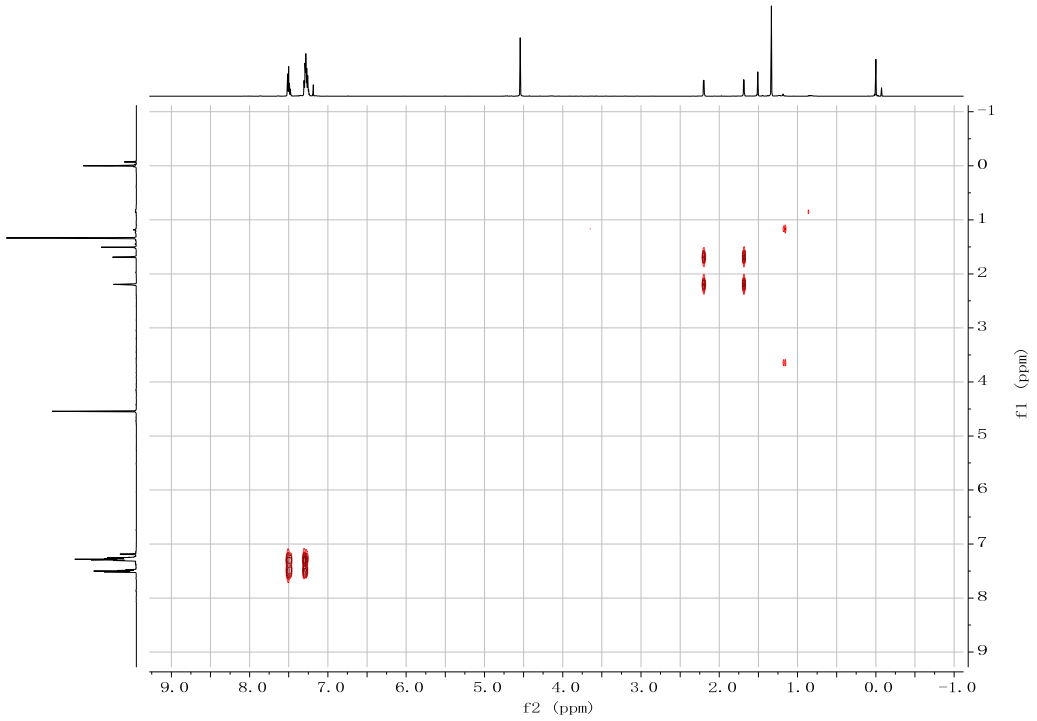




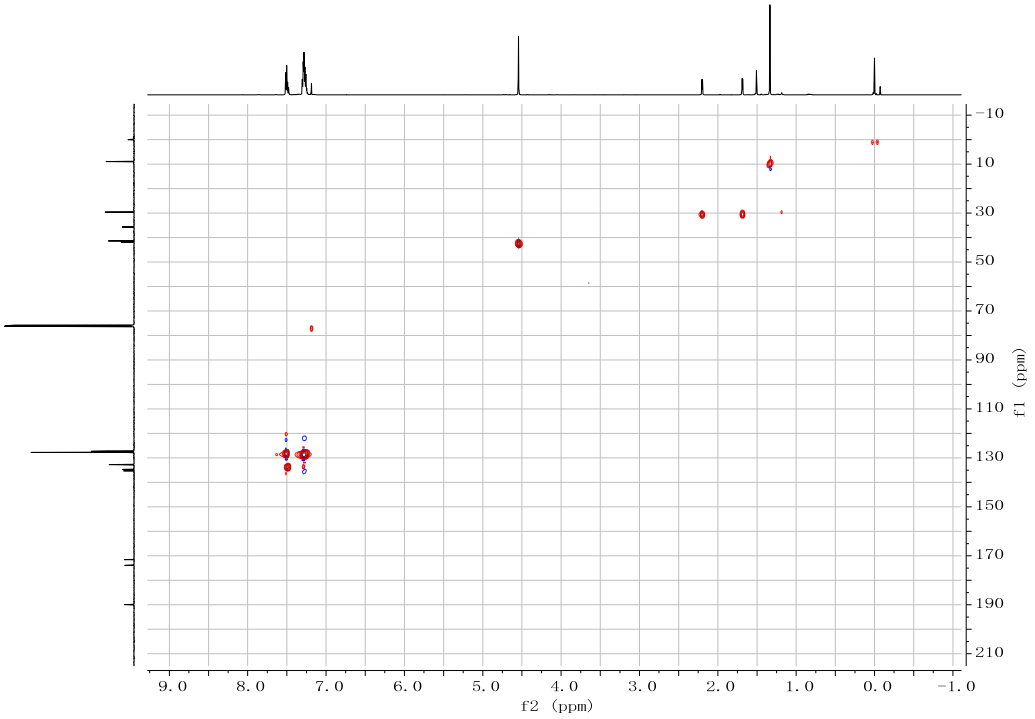
DEPT of compound **6** (600MHz, in $CDCl_3$)



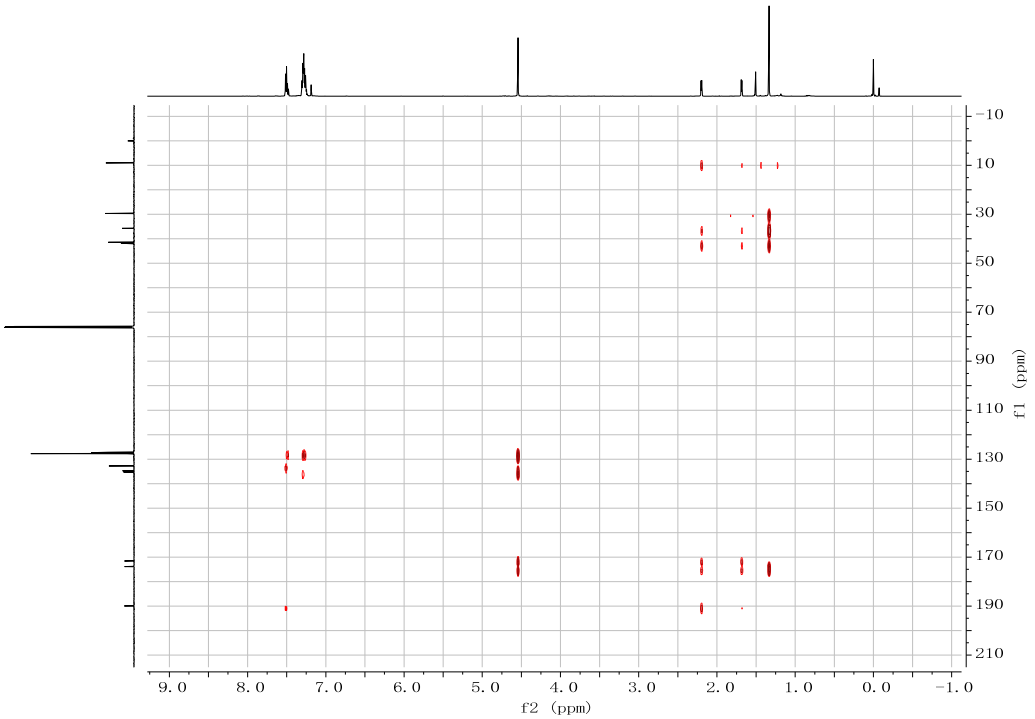
^1H-^1H COSY of compound **6** (600MHz, in $CDCl_3$)



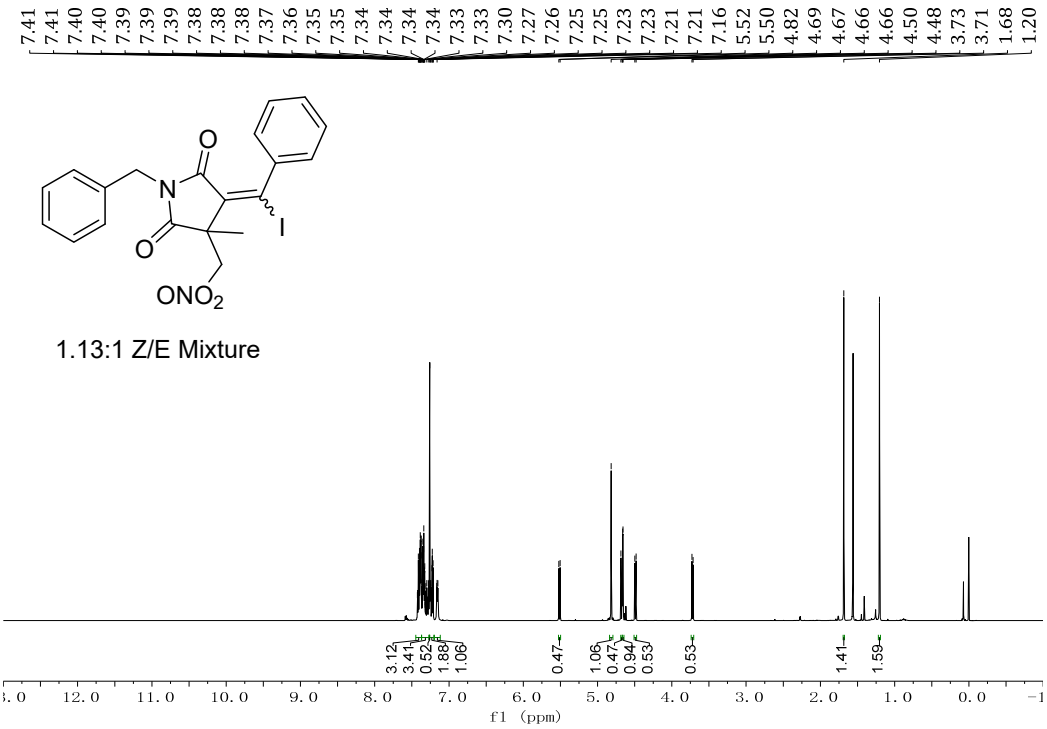
HSQC of compound **6** (600MHz, in $CDCl_3$)

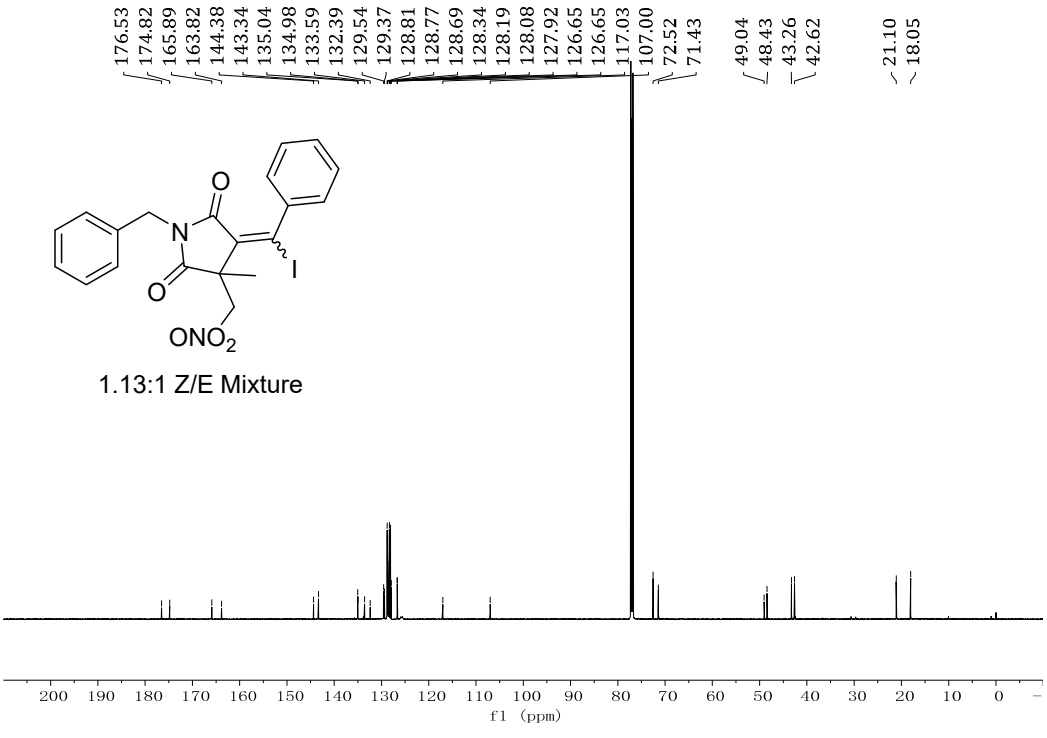


HMBC of compound **6** (600MHz, in $CDCl_3$)

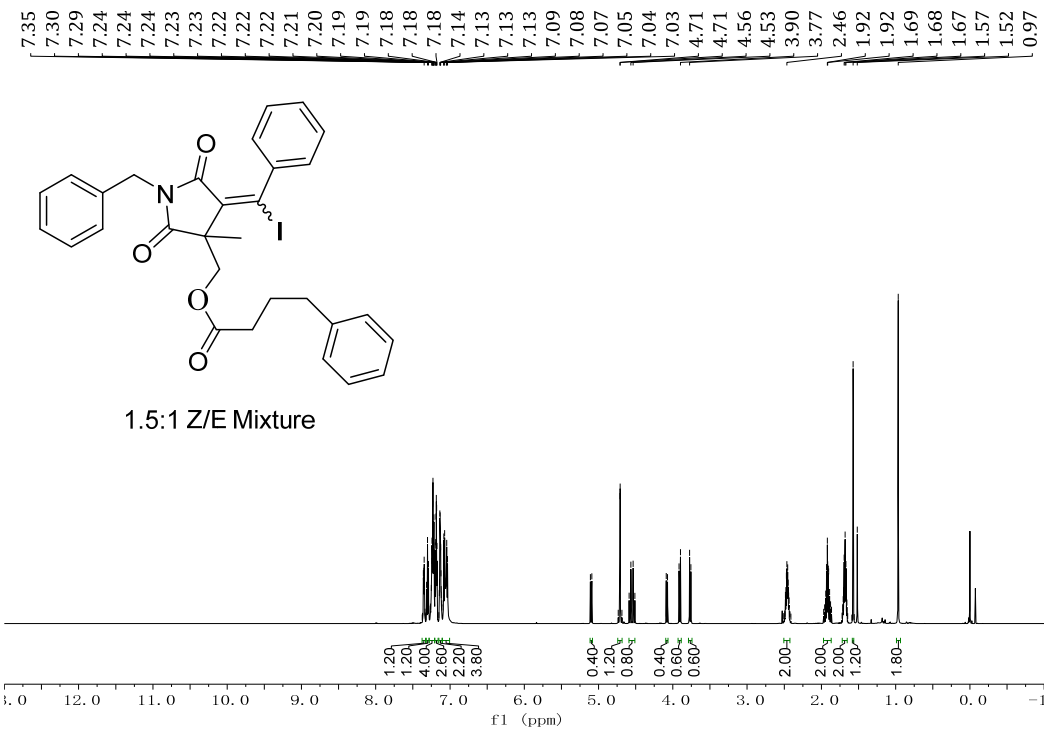


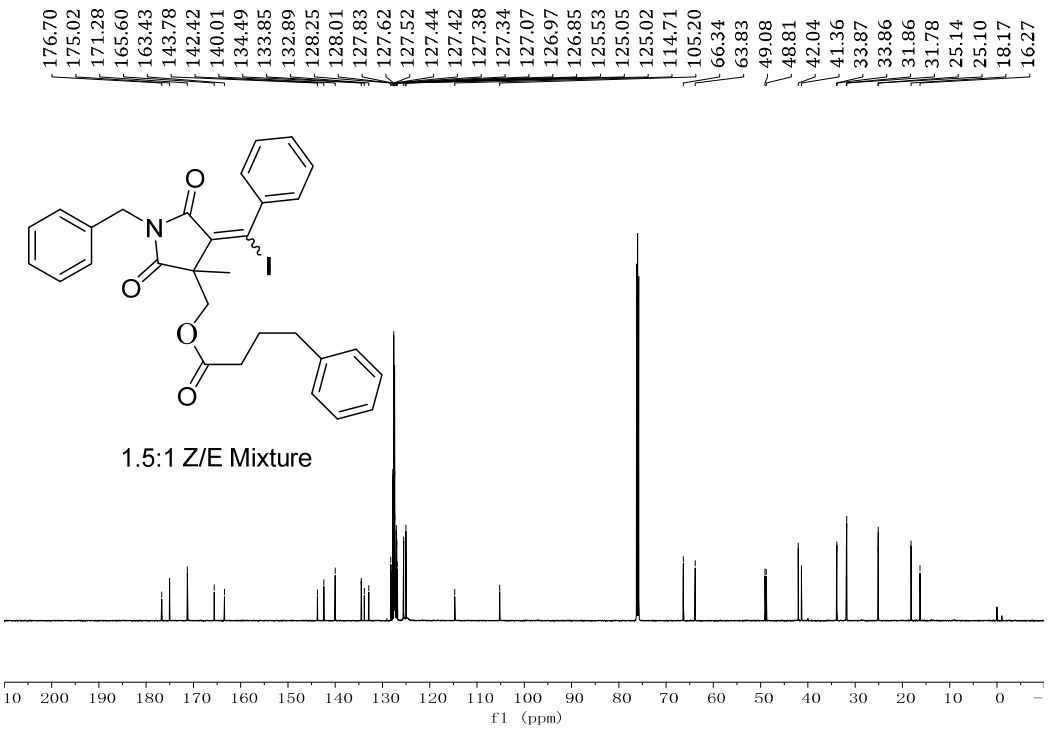
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **7**



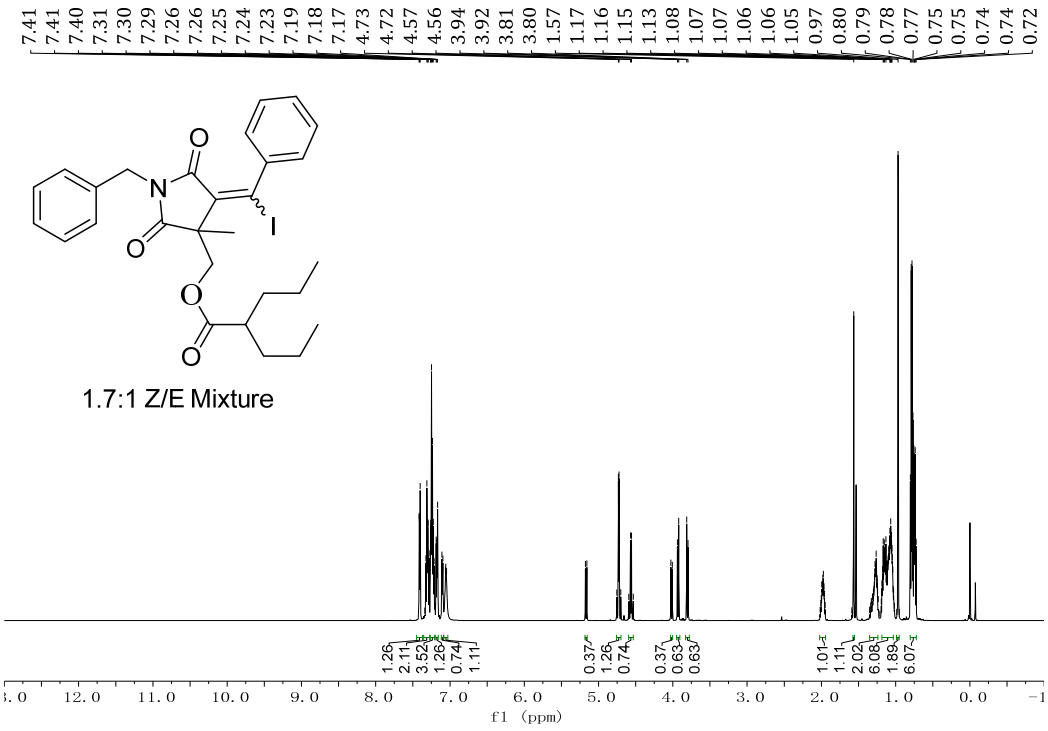


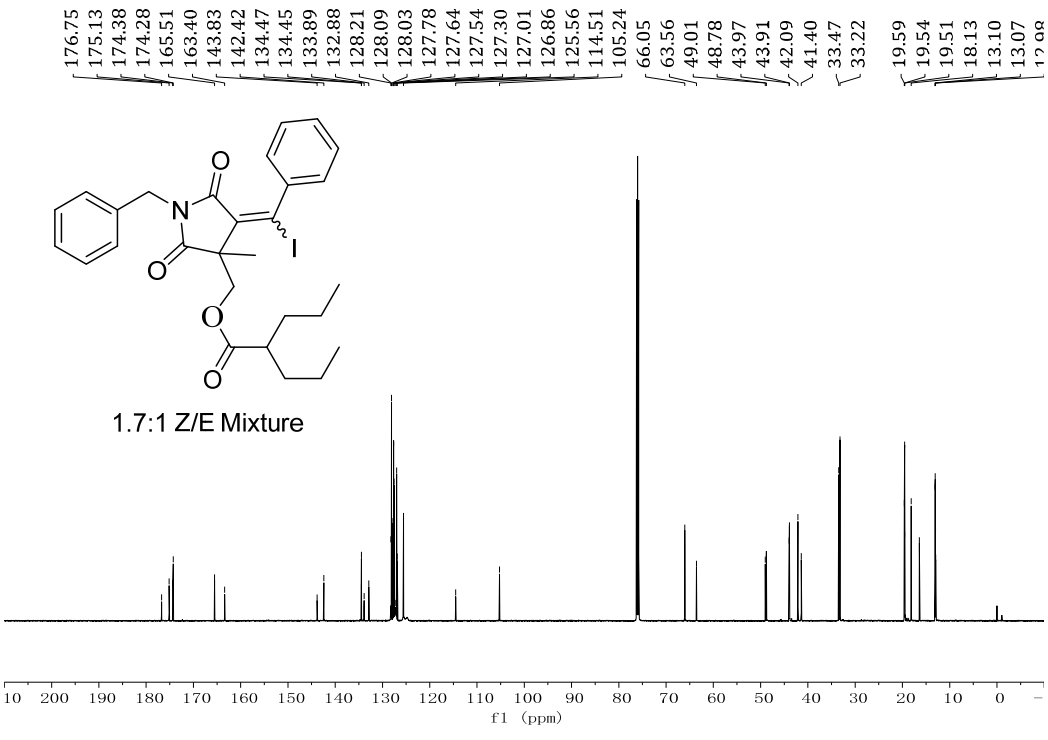
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **8**



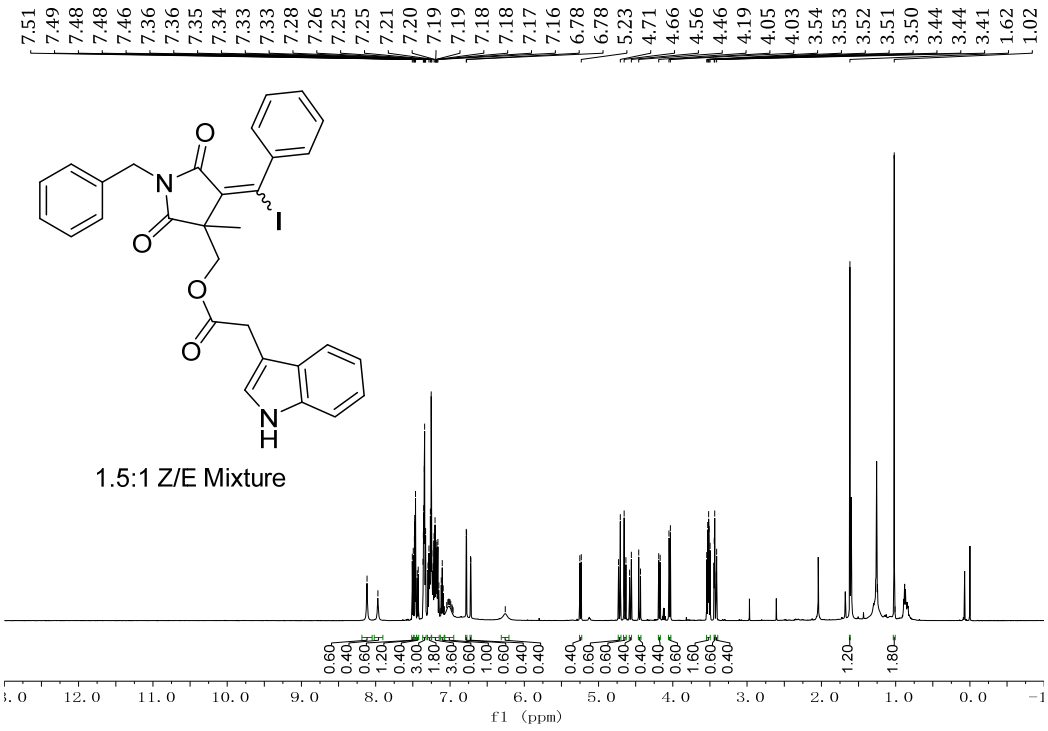


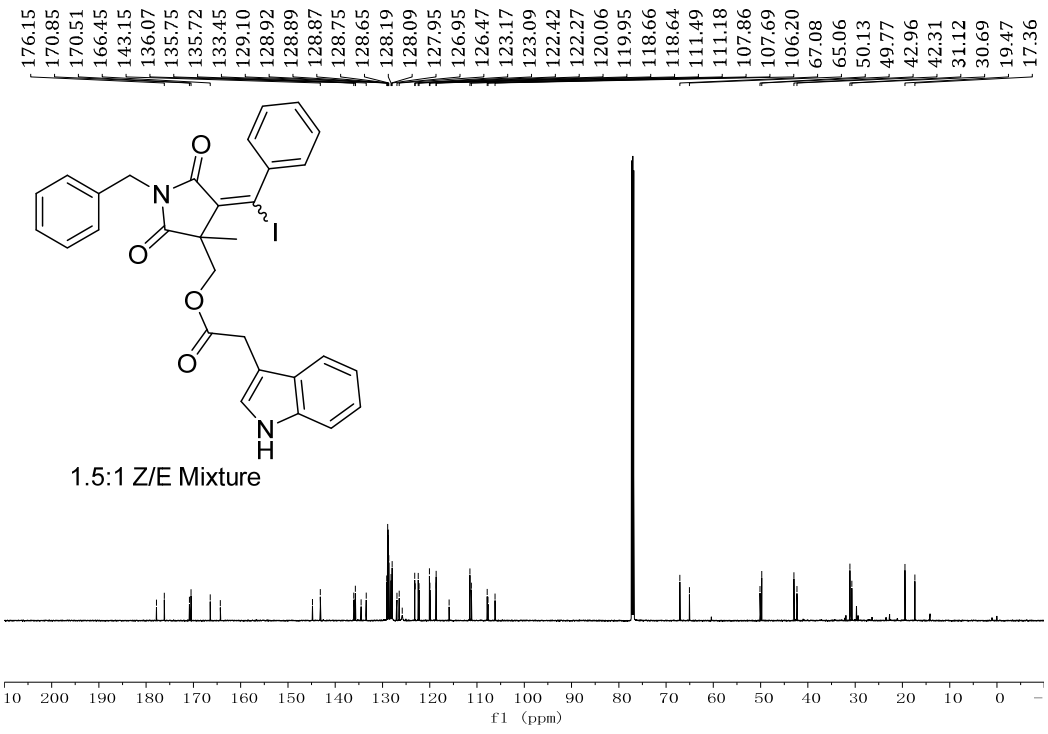
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **9**



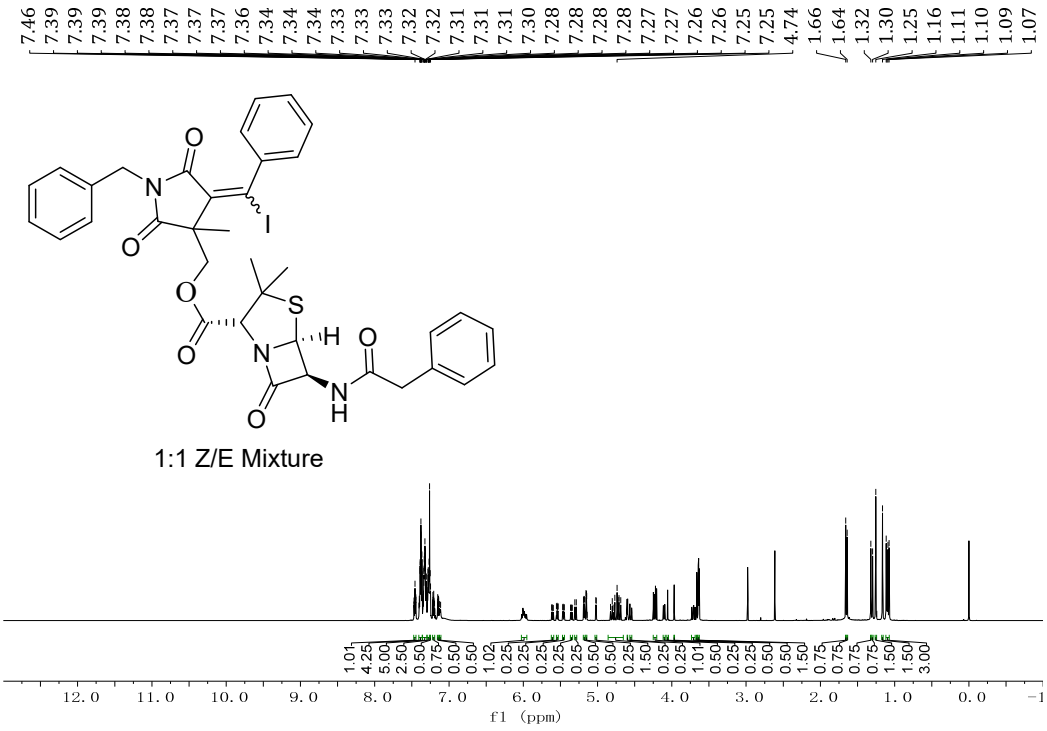


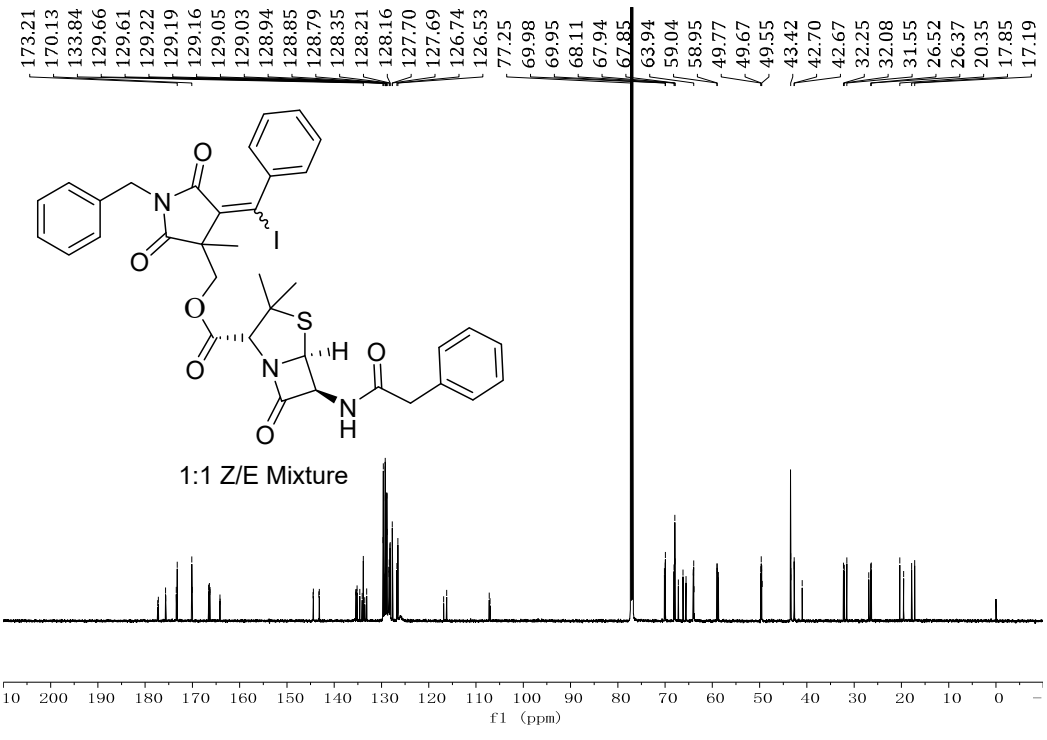
^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **10**



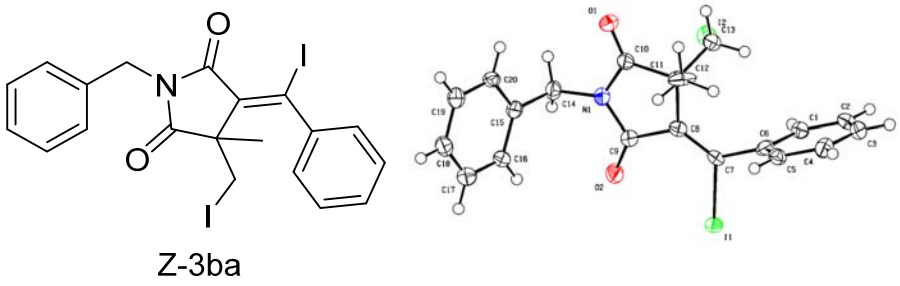


^{1}H NMR (600 MHz, $CDCl_3$) and ^{13}C NMR (150 MHz, $CDCl_3$) spectra of **11**





4)X-Crystal data of 3ba



Z-3ba

Table 1. Crystal data and structure refinement for 1.

Identification code	1	
Empirical formula	C20 H17 I2 N O2	
Formula weight	557.15	
Temperature	293(2) K	
Wavelength	1.54178 Å	
Crystal system	Monoclinic	
Space group	$P2_1/c$	
Unit cell dimensions	a = 7.61260(10) Å	α= 90°.
	b = 23.4046(2) Å	β= 103.8590(10)°.
	c = 11.28240(10) Å	γ = 90°.
Volume	1951.66(4) $Å^3$	
Z	4	
Density (calculated)	1.896 Mg/m^3	
Absorption coefficient	25.412 mm^{-1}	
F(000)	1064	
Crystal size	0.250 x 0.180 x 0.150 mm^3	
Theta range for data collection	4.456 to 73.796°.	
Index ranges	-9<=h<=9, -29<=k<=28, -14<=l<=14	
Reflections collected	44819	
Independent reflections	3924 [R(int) = 0.0737]	
Completeness to theta = 67.679°	100.0 %	
Absorption correction	Semi-empirical from equivalents	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	3924 / 0 / 227	
Goodness-of-fit on F^2	1.096	
Final R indices [I>2sigma(I)]	R1 = 0.0432, wR2 = 0.1279	
R indices (all data)	R1 = 0.0433, wR2 = 0.1280	
Extinction coefficient	n/a	

Largest diff. peak and hole 2.994 and -1.731 e.Å^{-3}

Table 2. Atomic coordinates (x 10^4) and equivalent isotropic displacement parameters (Å^{2}x 10^3) for 1. U(eq) is defined as one third of the trace of the orthogonalized U^{ij} tensor.

	x	y	z	U(eq)
C(1)	8046(7)	5364(2)	6088(5)	24(1)
C(2)	9446(7)	4979(2)	6476(5)	26(1)
C(3)	10566(8)	5029(2)	7641(5)	28(1)
C(4)	10296(8)	5461(2)	8413(5)	29(1)
C(5)	8878(7)	5852(2)	8018(5)	25(1)
C(6)	7761(8)	5807(2)	6863(5)	25(1)
C(7)	6235(7)	6210(2)	6442(5)	22(1)
C(8)	6350(7)	6729(2)	5984(4)	22(1)
C(9)	4780(7)	7115(2)	5498(5)	26(1)
C(10)	7298(7)	7573(2)	5119(5)	23(1)
C(11)	8053(7)	7021(2)	5776(4)	20(1)
C(12)	9444(7)	7208(3)	6976(4)	32(1)
C(13)	9029(7)	6692(2)	4961(5)	25(1)
C(14)	4260(8)	8028(2)	4289(5)	26(1)
C(15)	3875(7)	8506(2)	5082(5)	24(1)
C(16)	2465(8)	8458(2)	5677(6)	30(1)
C(17)	2149(9)	8896(3)	6434(6)	38(1)
C(18)	3212(8)	9381(3)	6595(5)	31(1)
C(19)	4583(8)	9434(2)	6004(5)	28(1)
C(20)	4940(7)	8998(2)	5256(5)	24(1)
I(1)	3781(1)	5832(1)	6619(1)	23(1)
I(2)	7274(1)	6432(1)	3251(1)	30(1)
N(1)	5450(6)	7586(2)	4986(4)	25(1)
O(1)	8149(5)	7935(2)	4739(4)	29(1)
O(2)	3210(5)	7054(2)	5503(4)	35(1)

Table 3. Bond lengths [Å] and angles [°] for 1.

C(1)-C(2)	1.384(7)
C(1)-C(6)	1.407(7)
C(1)-H(1)	0.9300
C(2)-C(3)	1.390(8)
C(2)-H(2)	0.9300
C(3)-C(4)	1.383(8)
C(3)-H(3)	0.9300
C(4)-C(5)	1.404(8)
C(4)-H(4)	0.9300
C(5)-C(6)	1.379(8)
C(5)-H(5)	0.9300
C(6)-C(7)	1.484(7)
C(7)-C(8)	1.330(7)
C(7)-I(1)	2.119(5)
C(8)-C(9)	1.493(7)
C(8)-C(11)	1.533(7)
C(9)-O(2)	1.205(7)
C(9)-N(1)	1.397(7)
C(10)-O(1)	1.205(7)
C(10)-N(1)	1.379(7)
C(10)-C(11)	1.532(7)
C(11)-C(13)	1.522(7)
C(11)-C(12)	1.568(7)
C(12)-H(12A)	0.9600
C(12)-H(12B)	0.9600
C(12)-H(12C)	0.9600
C(13)-I(2)	2.154(5)
C(13)-H(13A)	0.9700
C(13)-H(13B)	0.9700
C(14)-N(1)	1.472(7)
C(14)-C(15)	1.505(7)
C(14)-H(14A)	0.9700
C(14)-H(14B)	0.9700
C(15)-C(20)	1.395(8)
C(15)-C(16)	1.400(8)
C(16)-C(17)	1.391(9)
C(16)-H(16)	0.9300

C(17)-C(18)	1.381(9)
C(17)-H(17)	0.9300
C(18)-C(19)	1.372(9)
C(18)-H(18)	0.9300
C(19)-C(20)	1.393(8)
C(19)-H(19)	0.9300
C(20)-H(20)	0.9300

C(2)-C(1)-C(6)	120.3(5)
C(2)-C(1)-H(1)	119.8
C(6)-C(1)-H(1)	119.8
C(1)-C(2)-C(3)	119.7(5)
C(1)-C(2)-H(2)	120.1
C(3)-C(2)-H(2)	120.1
C(4)-C(3)-C(2)	120.5(5)
C(4)-C(3)-H(3)	119.8
C(2)-C(3)-H(3)	119.8
C(3)-C(4)-C(5)	119.7(5)
C(3)-C(4)-H(4)	120.1
C(5)-C(4)-H(4)	120.1
C(6)-C(5)-C(4)	120.3(5)
C(6)-C(5)-H(5)	119.9
C(4)-C(5)-H(5)	119.9
C(5)-C(6)-C(1)	119.5(5)
C(5)-C(6)-C(7)	120.8(5)
C(1)-C(6)-C(7)	119.7(5)
C(8)-C(7)-C(6)	125.8(5)
C(8)-C(7)-I(1)	124.0(4)
C(6)-C(7)-I(1)	110.1(3)
C(7)-C(8)-C(9)	125.0(5)
C(7)-C(8)-C(11)	127.1(5)
C(9)-C(8)-C(11)	107.8(4)
O(2)-C(9)-N(1)	123.8(5)
O(2)-C(9)-C(8)	129.4(5)
N(1)-C(9)-C(8)	106.8(4)
O(1)-C(10)-N(1)	124.6(5)
O(1)-C(10)-C(11)	126.4(5)
N(1)-C(10)-C(11)	109.0(4)
C(13)-C(11)-C(10)	108.4(4)

C(13)-C(11)-C(8)	114.8(4)
C(10)-C(11)-C(8)	102.6(4)
C(13)-C(11)-C(12)	109.7(4)
C(10)-C(11)-C(12)	106.2(4)
C(8)-C(11)-C(12)	114.4(4)
C(11)-C(12)-H(12A)	109.5
C(11)-C(12)-H(12B)	109.5
H(12A)-C(12)-H(12B)	109.5
C(11)-C(12)-H(12C)	109.5
H(12A)-C(12)-H(12C)	109.5
H(12B)-C(12)-H(12C)	109.5
C(11)-C(13)-I(2)	113.3(3)
C(11)-C(13)-H(13A)	108.9
I(2)-C(13)-H(13A)	108.9
C(11)-C(13)-H(13B)	108.9
I(2)-C(13)-H(13B)	108.9
H(13A)-C(13)-H(13B)	107.7
N(1)-C(14)-C(15)	112.8(4)
N(1)-C(14)-H(14A)	109.0
C(15)-C(14)-H(14A)	109.0
N(1)-C(14)-H(14B)	109.0
C(15)-C(14)-H(14B)	109.0
H(14A)-C(14)-H(14B)	107.8
C(20)-C(15)-C(16)	119.0(5)
C(20)-C(15)-C(14)	120.5(5)
C(16)-C(15)-C(14)	120.4(5)
C(17)-C(16)-C(15)	120.0(5)
C(17)-C(16)-H(16)	120.0
C(15)-C(16)-H(16)	120.0
C(18)-C(17)-C(16)	120.3(6)
C(18)-C(17)-H(17)	119.9
C(16)-C(17)-H(17)	119.9
C(19)-C(18)-C(17)	120.1(6)
C(19)-C(18)-H(18)	120.0
C(17)-C(18)-H(18)	120.0
C(18)-C(19)-C(20)	120.6(5)
C(18)-C(19)-H(19)	119.7
C(20)-C(19)-H(19)	119.7
C(19)-C(20)-C(15)	120.0(5)

C(19)-C(20)-H(20)	120.0
C(15)-C(20)-H(20)	120.0
C(10)-N(1)-C(9)	113.7(4)
C(10)-N(1)-C(14)	123.7(4)
C(9)-N(1)-C(14)	122.4(4)

Symmetry transformations used to generate equivalent atoms:

Table 4. Anisotropic displacement parameters ($Å^2 x\ 10^3$) for 1. The anisotropic displacement factor exponent takes the form: $-2\pi^2[\ h^2\ a^{*2}U^{11} + ... + 2\ h\ k\ a^*\ b^*\ U^{12}\ ]$

	U^{11}	U^{22}	U^{33}	U^{23}	U^{13}	U^{12}
C(1)	24(3)	26(2)	24(2)	2(2)	8(2)	2(2)
C(2)	26(3)	29(3)	30(3)	0(2)	15(2)	2(2)
C(3)	24(3)	27(3)	35(3)	6(2)	10(2)	5(2)
C(4)	27(3)	26(3)	32(3)	3(2)	3(2)	-1(2)
C(5)	21(3)	25(3)	30(3)	-2(2)	9(2)	-1(2)
C(6)	32(3)	21(2)	24(3)	3(2)	12(2)	-3(2)
C(7)	17(2)	26(2)	24(2)	-2(2)	9(2)	2(2)
C(8)	18(2)	26(2)	21(2)	-1(2)	6(2)	-1(2)
C(9)	25(3)	25(2)	28(3)	3(2)	9(2)	1(2)
C(10)	26(3)	24(2)	20(2)	-1(2)	8(2)	2(2)
C(11)	23(2)	21(2)	18(2)	-3(2)	7(2)	-5(2)
C(12)	14(2)	66(4)	13(2)	16(2)	-4(2)	-14(2)
C(13)	23(3)	28(3)	24(2)	0(2)	7(2)	1(2)
C(14)	29(3)	23(2)	25(2)	3(2)	5(2)	5(2)
C(15)	23(3)	25(2)	23(2)	5(2)	4(2)	4(2)
C(16)	23(3)	27(3)	43(3)	7(2)	15(2)	3(2)
C(17)	41(3)	37(3)	40(3)	11(3)	21(3)	9(3)
C(18)	34(3)	34(3)	26(3)	3(2)	9(2)	11(2)
C(19)	29(3)	25(3)	27(3)	-2(2)	3(2)	2(2)
C(20)	22(2)	29(3)	22(2)	7(2)	8(2)	3(2)
I(1)	20(1)	24(1)	27(1)	2(1)	7(1)	-2(1)
I(2)	33(1)	32(1)	23(1)	-6(1)	5(1)	1(1)
N(1)	28(2)	22(2)	26(2)	2(2)	9(2)	4(2)
O(1)	32(2)	26(2)	31(2)	4(2)	13(2)	-2(2)
O(2)	22(2)	29(2)	56(3)	9(2)	12(2)	4(2)

Table 5. Hydrogen coordinates (x 10^4) and isotropic displacement parameters ($Å^2$x 10^3) for 1.

	x	y	z	U(eq)
H(1)	7290	5329	5311	29
H(2)	9638	4688	5958	32
H(3)	11503	4769	7904	34
H(4)	11051	5493	9192	35
H(5)	8691	6143	8537	30
H(12A)	9916	6876	7443	49
H(12B)	8850	7448	7450	49
H(12C)	10418	7416	6770	49
H(13A)	9585	6355	5394	30
H(13B)	9987	6930	4796	30
H(14A)	3126	7853	3870	31
H(14B)	4823	8184	3675	31
H(16)	1738	8133	5566	36
H(17)	1218	8862	6833	45
H(18)	2999	9672	7104	37
H(19)	5281	9765	6105	34
H(20)	5888	9034	4873	29

Table 6. Torsion angles [°] for 1.

C(6)-C(1)-C(2)-C(3)	0.5(8)
C(1)-C(2)-C(3)-C(4)	-0.3(8)
C(2)-C(3)-C(4)-C(5)	0.2(8)
C(3)-C(4)-C(5)-C(6)	-0.2(8)
C(4)-C(5)-C(6)-C(1)	0.4(8)
C(4)-C(5)-C(6)-C(7)	178.8(5)
C(2)-C(1)-C(6)-C(5)	-0.6(8)
C(2)-C(1)-C(6)-C(7)	-179.0(5)
C(5)-C(6)-C(7)-C(8)	82.9(7)
C(1)-C(6)-C(7)-C(8)	-98.7(7)
C(5)-C(6)-C(7)-I(1)	-98.9(5)
C(1)-C(6)-C(7)-I(1)	79.5(5)
C(6)-C(7)-C(8)-C(9)	176.2(5)
I(1)-C(7)-C(8)-C(9)	-1.7(7)
C(6)-C(7)-C(8)-C(11)	0.8(9)
I(1)-C(7)-C(8)-C(11)	-177.2(4)
C(7)-C(8)-C(9)-O(2)	5.6(9)
C(11)-C(8)-C(9)-O(2)	-178.2(6)
C(7)-C(8)-C(9)-N(1)	-173.6(5)
C(11)-C(8)-C(9)-N(1)	2.6(6)
O(1)-C(10)-C(11)-C(13)	-55.9(7)
N(1)-C(10)-C(11)-C(13)	122.0(4)
O(1)-C(10)-C(11)-C(8)	-177.7(5)
N(1)-C(10)-C(11)-C(8)	0.2(5)
O(1)-C(10)-C(11)-C(12)	61.9(6)
N(1)-C(10)-C(11)-C(12)	-120.2(4)
C(7)-C(8)-C(11)-C(13)	57.1(7)
C(9)-C(8)-C(11)-C(13)	-119.0(5)
C(7)-C(8)-C(11)-C(10)	174.4(5)
C(9)-C(8)-C(11)-C(10)	-1.7(5)
C(7)-C(8)-C(11)-C(12)	-71.0(7)
C(9)-C(8)-C(11)-C(12)	112.9(5)
C(10)-C(11)-C(13)-I(2)	-62.6(5)
C(8)-C(11)-C(13)-I(2)	51.3(5)
C(12)-C(11)-C(13)-I(2)	-178.2(3)
N(1)-C(14)-C(15)-C(20)	93.3(6)
N(1)-C(14)-C(15)-C(16)	-85.4(6)

C(20)-C(15)-C(16)-C(17)	-0.4(8)
C(14)-C(15)-C(16)-C(17)	178.3(5)
C(15)-C(16)-C(17)-C(18)	0.6(9)
C(16)-C(17)-C(18)-C(19)	0.2(9)
C(17)-C(18)-C(19)-C(20)	-1.2(9)
C(18)-C(19)-C(20)-C(15)	1.3(8)
C(16)-C(15)-C(20)-C(19)	-0.5(8)
C(14)-C(15)-C(20)-C(19)	-179.2(5)
O(1)-C(10)-N(1)-C(9)	179.5(5)
C(11)-C(10)-N(1)-C(9)	1.6(6)
O(1)-C(10)-N(1)-C(14)	4.1(8)
C(11)-C(10)-N(1)-C(14)	-173.8(4)
O(2)-C(9)-N(1)-C(10)	178.1(5)
C(8)-C(9)-N(1)-C(10)	-2.6(6)
O(2)-C(9)-N(1)-C(14)	-6.5(9)
C(8)-C(9)-N(1)-C(14)	172.8(4)
C(15)-C(14)-N(1)-C(10)	-95.7(6)
C(15)-C(14)-N(1)-C(9)	89.4(6)

Symmetry transformations used to generate equivalent atoms:

Table 7. Hydrogen bonds for 1 [Å and °].

D-H...A	d(D-H)	d(H...A)	d(D...A)	<(DHA)