Supporting Information

Cp*Co(III)-catalyzed *ortho*-alkylation/alkenylation of anilides

Yongqi Yu,*[a] Jiajia Yu,[a] Yanqi Li[a], Mengdan You,[a] Rantao Huang,[b] Weiguang Kong,[a] Ming Chen,[a] Jinjin Bai,[a] Wenguang Li*[a] and Ting Li*[a]

[a] College of Chemistry and Pharmaceutical Engineering, Nanyang Normal University, Nanyang, 473061, China.

[b] Nanyang Academy of Science, Nanyang, 473061, China.

yuyq2021@163.com; nanyanglwg@126.com; chemlt2015@nynu.edu.cn

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1. General information

Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. The Cp*Co(CO)I_2[1], amides[2], and maleimides[3] were prepared according to the previous reports. Products were purified by column chromatography on 200-300 mesh silica gel, SiO_2. ^1H and ^{13}C NMR spectra were recorded on a 400 MHz NMR spectrometer using $CDCl_3$ or DMSO-d_6 as the solvent. The chemical shifts are given in δ relative to TMS, and the coupling constants are given in Hertz. The peak patterns are indicated as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; qui, quintet; sxt, sextet. Melting points were measured by a melting point instrument and were uncorrected.

2. Experimental section

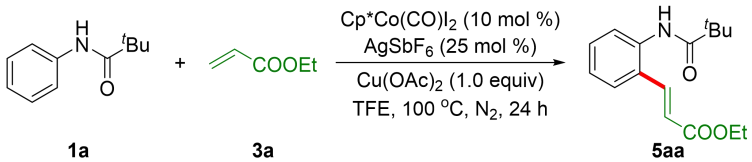
2.1 General procedure for Cp*Co(III)-catalyzed *ortho*-alkylation of anilides

Amide **1** (0.2 mmol, 1.0 equiv), maleimide **2** (0.3 mmol, 1.5 equiv), Cp*Co(CO)I_2 (9.5 mg, 0.02 mmol, 10 mol %), $AgSbF_6$ (17.1 mg, 0.05 mmol, 25 mol %), $Zn(OAc)_2$ (7.3 mg, 0.04 mmol, 20 mol %) and TFE (1.0 mL) were added to a 35 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 ^oC for 12 h. It was then cooled to room temperature, the solvent was removed in vacuum and the product was isolated through column chromatography to afford the desired product **4**.

Larger-scale synthesis of 4aa. Amide **1a** (2.0 mmol, 1.0 equiv), maleimide **2a** (3.0 mmol, 1.5 equiv), Cp*Co(CO)I_2 (95.0 mg, 0.2 mmol, 10 mol %), $AgSbF_6$ (171.8 mg, 0.5 mmol, 25 mol %), $Zn(OAc)_2$ (73.0 mg, 0.4 mmol, 20 mol %) and TFE (10 mL)

were added to a 50 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 °C for 12 h. It was then cooled to room temperature, and the solvent was removed in vacuum. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded the product **4aa** (472.6 mg, 82% yield).

2.2 Optimization of the reaction conditions for *ortho*-alkenylation of anilides[a]



1a **3a** **5aa**

Entry	Variation from the standard conditions	Yield(%)[b]
1	none	63
2	CuO instead of $Cu(OAc)_2$	46
3	Ag_2O instead of $Cu(OAc)_2$	37
4	AgOAc instead of $Cu(OAc)_2$	22
5	Ag_2CO_3 instead of $Cu(OAc)_2$	35
6	2.0 equiv of $Cu(OAc)_2$	34
7	without $Cu(OAc)_2$	0
8	$AgBF_4$ instead of $AgSbF_6$	trace
9	$Co(OAc)_2$ or $CoCl_2$ instead of $Cp^*Co(CO)I_2$	0
10	DCE instead of TFE	54
11	CH_3CN or DMSO instead of TFE	0
12	120 °C	47
13	80 °C	41
14	air atmosphere instead of N_2	39
15	without $Cp^*Co(CO)I_2$ or $AgSbF_6$	0

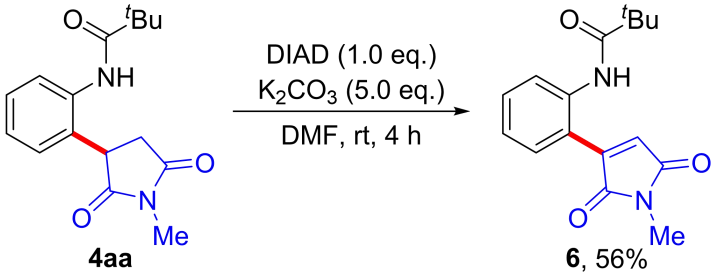
[a]Reaction conditions: **1a** (0.2 mmol), **3a** (0.3 mmol), $Cp^*Co(CO)I_2$ (10 mol %), $AgSbF_6$ (25 mol %), $Cu(OAc)_2$ (1.0 equiv), TFE (1 mL), 100 °C, N_2, 24 h. [b]Isolated yield.

2.3 General procedure for Cp*Co(III)-catalyzed *ortho*-alkenylation of anilides

Amide **1** (0.2 mmol, 1.0 equiv), acrylate **3** (0.3 mmol, 1.5 equiv), $Cp^*Co(CO)I_2$ (9.5 mg, 0.02 mmol, 10 mol %), $AgSbF_6$ (17.1 mg, 0.05 mmol, 25 mol %), $Cu(OAc)_2$ (24.7 mg, 0.2 mmol, 1.0 equiv) and TFE (1.0 mL) were added to a 35 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 °C for 24 h. It was then cooled to room temperature, the solvent was removed in vacuum and the product was isolated through column

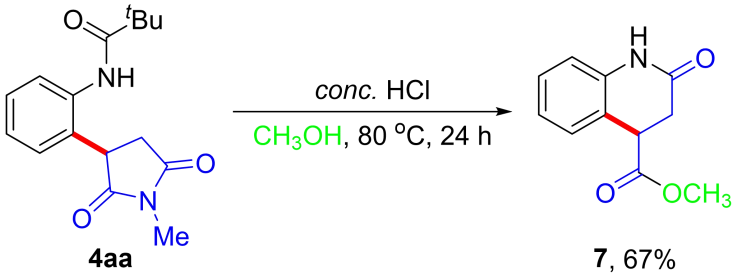
chromatography to afford the desired product **5**.

2.4 Synthesis of 3-aryl substituted maleimide[4]



Compound **4aa** (0.2 mmol, 1.0 equiv), K_2CO_3 (5.0 equiv) and diisopropyl azodicarboxylate (1.0 equiv) were taken in a dried Schlenk flask with a magnetic stir bar. Then dry DMF (4 mL) was added and the reaction mixture was allowed to stir for 4 h at room temperature. The reaction mixture was extracted with ethyl acetate and washed with brine solution. The organic layer was dried with anhydrous Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded the product **6** (32.0 mg, 56% yield).

2.5 Synthesis of methyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carboxylate

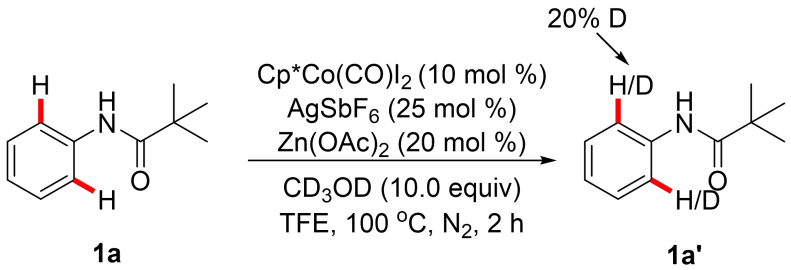


A Schlenk tube with a magnetic stir bar was charged with (*R*)-*N*-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide **4aa** (57.6 mg, 0.2 mmol), *conc.* HCl (1 mL), and MeOH (1 mL). The resulting mixture was stirred at 80 °C for 24 h. Then the mixture was quenched with water, neutralized with K_2CO_3,

and extracted with dichloromethane. The organic layer was dried and concentrated, and the resulting residue was purified by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=2:1, v/v) to afford methyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carboxylate **7** (27.5 mg, 67% yield).

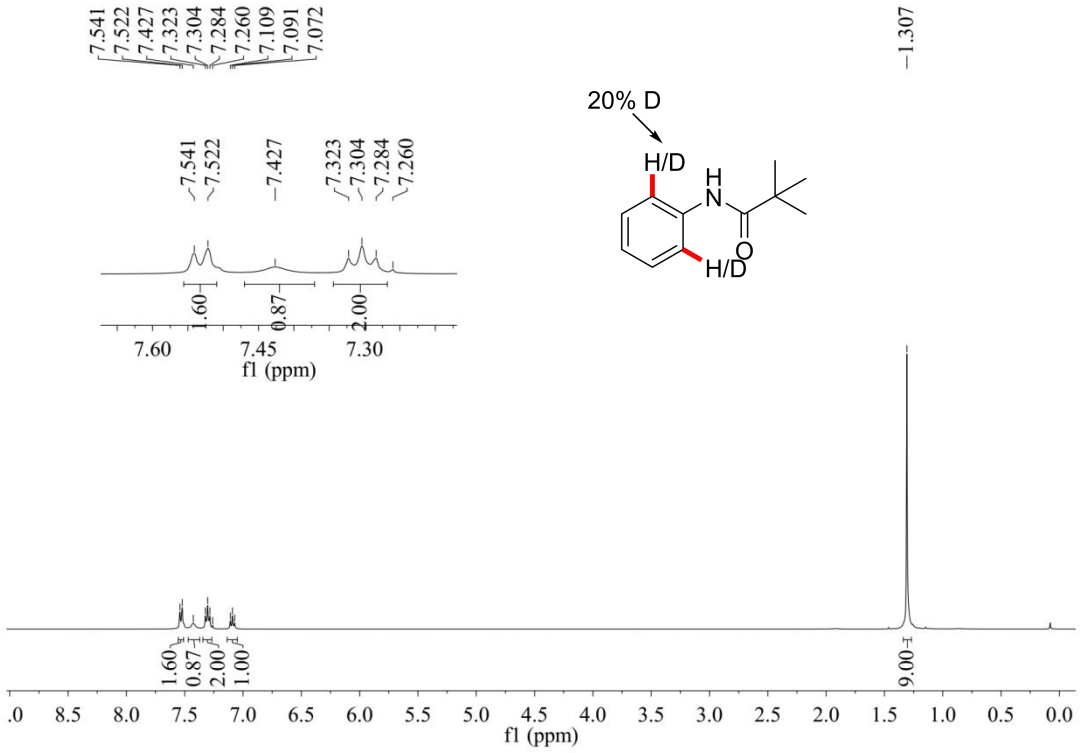
2.6 Mechanistic studies

2.6.1 H/D exchange experiment

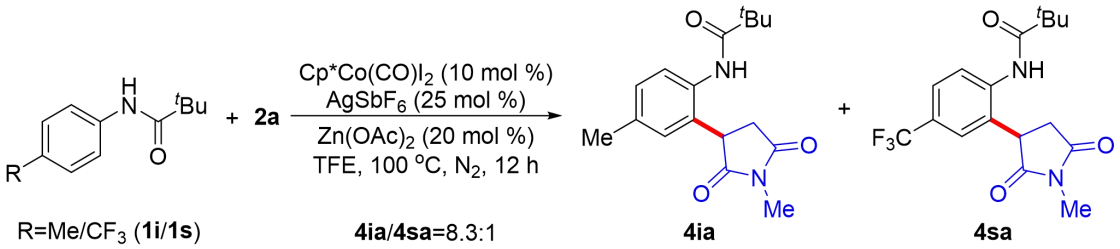


1a **1a'**

Amide **1a** (35.5 mg, 0.2 mmol, 1.0 equiv), Cp*Co(CO)I_2 (9.5 mg, 0.02 mmol, 10 mol %), $AgSbF_6$ (17.1 mg, 0.05 mmol, 25 mol %), $Zn(OAc)_2$ (7.3 mg, 0.04 mmol, 20 mol %), CD_3OD (72.1 mg, 2.0 mmol, 10.0 equiv) and TFE (1.0 mL) were added to a 35 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 °C for 2 h. It was then cooled to room temperature, the solvent was removed in vacuum and then the reaction mixture was passed through a short pad of silica gel (petroleum ether:ethyl acetate = 6:1). The ^1H NMR of the isolated product shows deuterium incorporation of about 20 % in *ortho* position with respect to amide group of **1a**.



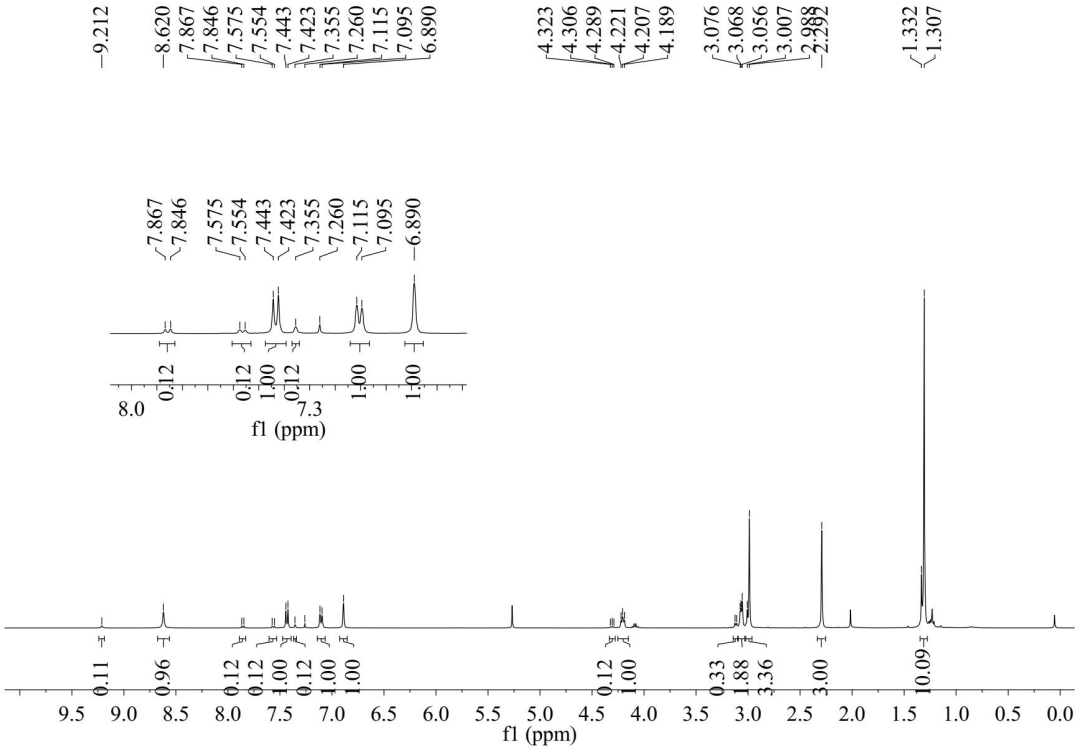
2.6.2 Intermolecular competition experiment between different amide with 2a



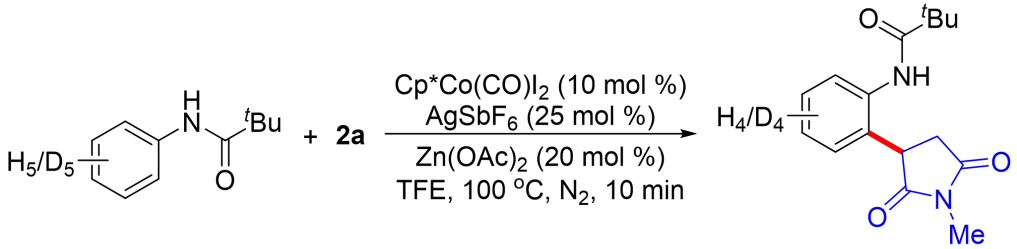
R=Me/CF_3 (**1i**/**1s**) **4ia**/**4sa**=8.3:1 **4ia** **4sa**

Amide **1i** (38.3 mg, 0.2 mmol, 1.0 equiv), amide **1s** (49.1 mg, 0.2 mmol, 1.0 equiv), maleimide **2a** (22.2 mg, 0.2 mmol, 1.0 equiv), Cp*Co(CO)I_2 (9.5 mg, 0.02 mmol, 10 mol %), $AgSbF_6$ (17.1 mg, 0.05 mmol, 25 mol %), $Zn(OAc)_2$ (7.30 mg, 0.04 mmol, 20 mol %) and TFE (1.0 mL) were added to a 35 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 °C for 12 h. It was then cooled to room temperature, the solvent was removed in vacuum and then the crude mixture was purified by column chromatography (eluent: petroleum ether:ethyl acetate=5:1, v/v) on silica gel to afford the mixture of

4ia and **4sa**. The ratio of **4ia** and **4sa** (**4ia**:**4sa** = 8.3:1) was calculated by ^{1}H NMR analysis.



2.6.3 Intermolecular KIE experiment



1a/[D$_5$]-1a = 1:1

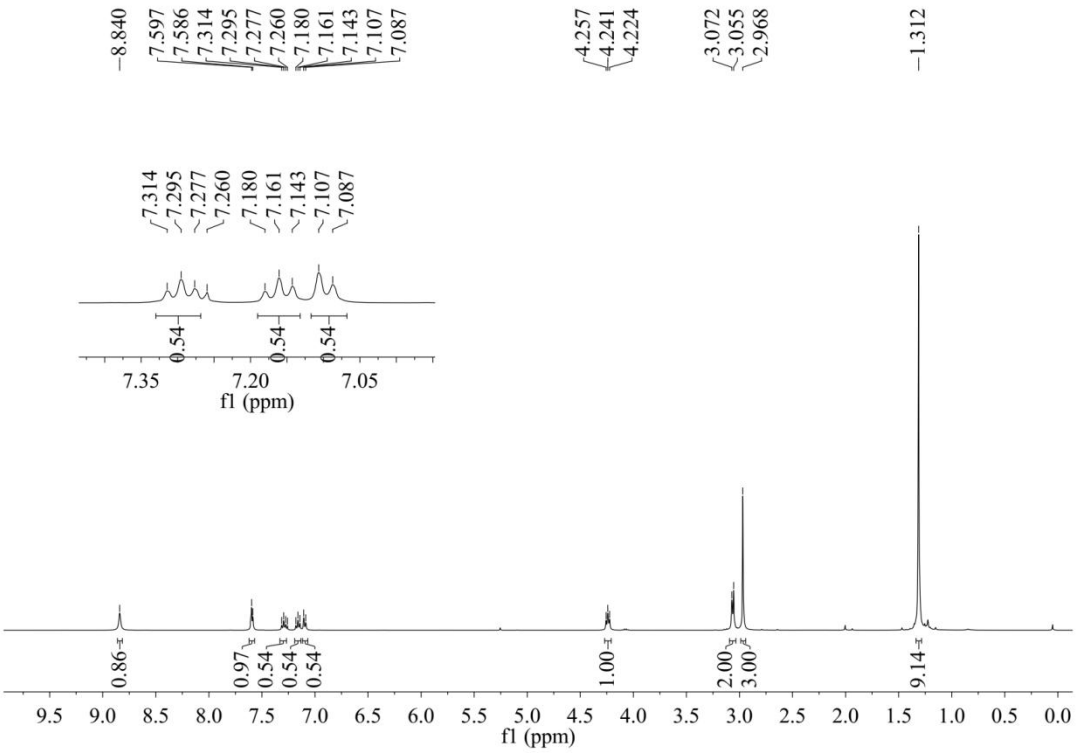
4aa/[D$_4$]-4aa

competitive k_H:k_D=1.17

parallel k_H:k_D=1.38

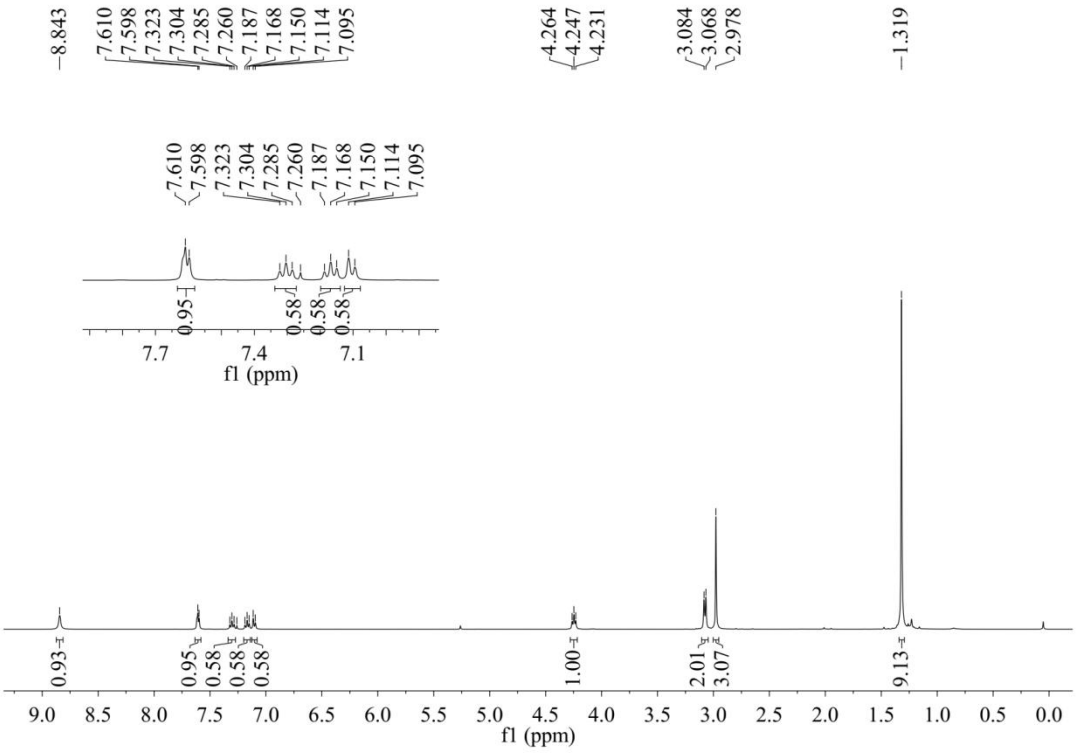
Intermolecular competition KIE experiment. Amide **1a** (35.5 mg, 0.2 mmol), **[D$_5$]-1a** (36.4 mg, 0.2 mmol), maleimide **2a** (66.7 mg, 0.6 mmol), Cp*Co(CO)I$_2$ (19.0 mg, 0.04 mmol), $AgSbF_6$ (34.2 mg, 0.10 mmol), $Zn(OAc)_2$ (14.6 mg, 0.08 mmol) and TFE (2.0 mL) were added to a 35 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 °C for

10 min. It was then cooled to room temperature, the solvent was removed in vacuum and the product was isolated through column chromatography (eluent: petroleum ether:ethyl acetate=5:1, v/v) to afford the desired product less than 18% yield. The desired product was subjected to ^{1}H NMR measurement, and the ratio of **4aa**:**[D$_4$]-4aa** was determined by ^{1}H NMR analysis to obtain a KIE value. The KIE value was calculated as k_H:k_D = 0.54/(1-0.54) = 1.17.

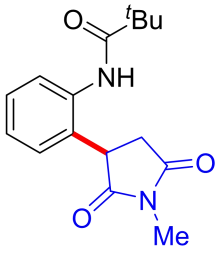


Intermolecular parallel KIE experiment. Amide **1a** (35.5 mg, 0.2 mmol) or **[D$_5$]-1a** (36.4 mg, 0.2 mmol), maleimide **2a** (33.3 mg, 0.3 mmol), Cp*Co(CO)I$_2$ (9.5 mg, 0.02 mmol), $AgSbF_6$ (17.1 mg, 0.05 mmol), $Zn(OAc)_2$ (7.3 mg, 0.04 mmol) and TFE (1.0 mL) were added to a 35 mL Schlenk flask equipped with a high-vacuum PTFE valve-to-glass seal. Then the flask was sealed under N_2 and stirred at 100 °C for 10 min. It was then cooled to room temperature, mix the two reaction mixtures together, the solvent was removed in vacuum and the product was isolated through column

chromatography (eluent: petroleum ether:ethyl acetate=5:1, v/v) to afford the desired product less than 16% yield. The desired product was subjected to ^{1}H NMR measurement, and the ratio of **4aa**:**[D$_4$]-4aa** was determined by ^{1}H NMR analysis to obtain a KIE value. The KIE value was calculated as k_H:k_D = 0.58/(1-0.58) = 1.38.



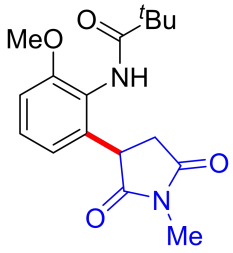
3. Characterization data of products



4aa

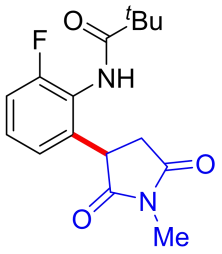
(*R*)-*N*-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4aa**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4aa** as a white solid (54.2 mg, 94% yield); mp 119-121 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.81 (s, 1H),

7.61 (d, J = 8.0 Hz, 1H), 7.31 (t, J = 7.6 Hz, 1H), 7.17 (t, J = 7.6 Hz, 1H), 7.11 (d, J = 7.6 Hz, 1H), 4.25 (t, J = 6.8 Hz, 1H), 3.08 (d, J = 6.8 Hz, 2H), 2.99 (s, 3H), 1.32 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 179.3, 177.6, 175.9, 136.8, 129.1, 128.5, 127.3, 126.0, 125.1, 41.2, 39.3, 33.6, 27.5, 25.1; HRMS (ESI, m/z) calcd for $C_{16}H_{21}N_2O_3^+$ $[M + H]^+$ 289.1547, found 289.1559.



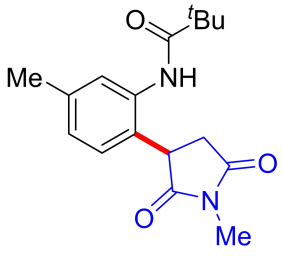
4ba

(*R*)-*N*-(2-methoxy-6-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ba**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ba** as a white solid (50.9 mg, 80% yield); mp 150-152 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.30 (s, 1H), 7.20 (t, J = 8.0 Hz, 1H), 6.85 (d, J = 8.0 Hz, 1H), 6.69 (d, J = 8.0 Hz, 1H), 4.09 (dd, J = 9.6, 5.2 Hz, 1H), 3.79 (s, 3H), 3.19 (dd, J = 18.8, 9.6 Hz, 1H), 3.01 (s, 3H), 2.88 (dd, J = 18.8, 5.2 Hz, 1H), 1.28 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 178.7, 178.5, 176.7, 154.7, 135.8, 128.1, 125.0, 118.9, 110.6, 55.8, 42.7, 39.3, 36.2, 27.5, 25.0; HRMS (ESI, m/z) calcd for $C_{17}H_{23}N_2O_4$ $[M + H]^+$ 319.1652, found 319.1653.



4ca

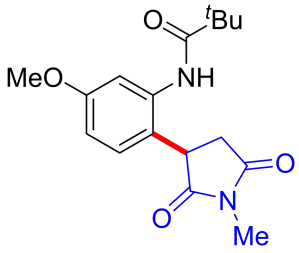
(*R*)-*N*-(2-fluoro-6-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ca**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ca** as a white solid (44.7 mg, 73% yield); mp 146-148 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84 (s, 1H), 7.29-7.24 (m, 1H), 7.12 (t, J = 8.8 Hz, 1H), 6.95 (d, J = 7.6 Hz, 1H), 4.20 (dd, J = 9.2, 4.8 Hz, 1H), 3.17 (dd, J = 18.8, 9.4 Hz, 1H), 3.08-2.94 (m, 4H), 1.34 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.5, 178.0, 175.9, 158.4 (d, J = 249.1 Hz), 135.4, 128.4 (d, J = 8.7 Hz), 124.6 (d, J = 13.9 Hz), 121.8 (d, J = 3.4 Hz), 115.9 (d, J = 20.7 Hz), 42.1, 39.3, 34.8, 27.5, 25.2; ^{19}F NMR(376 MHz, $CDCl_3$): δ –117.6; HRMS (ESI, m/z) calcd for $C_{16}H_{20}FN_2O_3$ $[M + H]^+$ 307.1452, found 307.1463.



4da

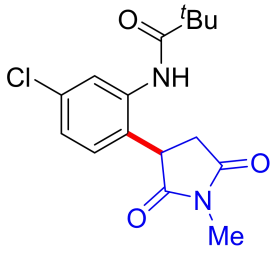
(*R*)-*N*-(5-methyl-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4da**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4da** as a white solid (54.4 mg, 90% yield); mp 127-129 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.78

(s, 1H), 7.45 (s, 1H), 6.98 (s, 2H), 4.20 (t, J = 6.6 Hz, 1H), 3.06 (d, J = 6.7 Hz, 2H), 2.98 (s, 3H), 2.31 (s, 3H), 1.32 (s, 9H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 179.5, 177.6, 176.0, 138.6, 136.4, 127.8, 126.7, 126.0, 124.8, 40.9, 39.3, 33.6, 27.5, 25.0, 20.9; HRMS (ESI, m/z) calcd for $C_{17}H_{23}N_2O_3$ $[M + H]^+$ 303.1703, found 303.1714.



4ea

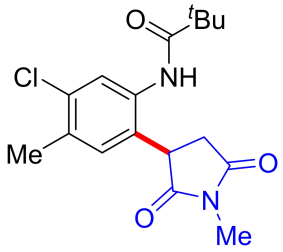
(*R*)-*N*-(5-methoxy-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ea**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ea** as a white solid (56.6 mg, 89% yield); mp 181-183 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^1H NMR (400 MHz, $CDCl_3$): δ 8.92 (s, 1H), 7.29 (d, J = 2.6 Hz, 1H), 7.00 (d, J = 8.7 Hz, 1H), 6.71 (dd, J = 8.7, 2.6 Hz, 1H), 4.18 (t, J = 6.5 Hz, 1H), 3.78 (s, 3H), 3.08 (d, J = 6.7 Hz, 2H), 2.99 (s, 3H), 1.33 (s, 9H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 179.7, 177.6, 176.0, 159.5, 137.8, 125.7, 120.2, 112.2, 111.6, 55.4, 40.5, 39.4, 33.5, 27.5, 25.1; HRMS (ESI, m/z) calcd for $C_{17}H_{23}N_2O_4$ $[M + H]^+$ 319.1652, found 319.1653.



4fa

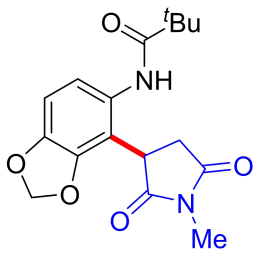
(*R*)-*N*-(5-chloro-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4fa**).

Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4fa** as a white solid (54.1 mg, 84% yield); mp 121-123 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.98 (s, 1H), 7.70 (d, J = 1.8 Hz, 1H), 7.14 (dd, J = 8.4, 1.8 Hz, 1H), 7.03 (d, J = 8.4 Hz, 1H), 4.22-4.19 (m, 1H), 3.10-2.99 (m, 2H), 2.99 (s, 3H), 1.32 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.0, 177.6, 175.5, 138.0, 134.1, 127.0, 126.9, 126.0, 125.8, 40.8, 39.4, 33.4, 27.5, 25.2; HRMS (ESI, m/z) calcd for $C_{16}H_{20}ClN_2O_3$ $[M + H]^+$ 323.1157, found 323.1166.



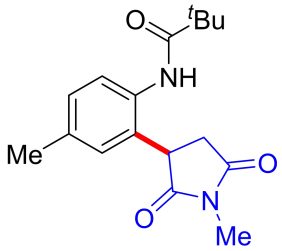
4ga

(*R*)-*N*-(5-chloro-4-methyl-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ga**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ga** as a white solid (57.1 mg, 85% yield); mp 182-184 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.73 (s, 1H), 7.63 (s, 1H), 6.94 (s, 1H), 4.18 (dd, J = 8.5, 4.7 Hz, 1H), 3.07 (dd, J = 8.9, 7.0 Hz, 2H), 3.01 (s, 3H), 2.31 (s, 3H), 1.32 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.1, 177.7, 175.7, 135.3, 134.1, 133.8, 127.6, 127.5, 127.2, 40.8, 39.4, 33.6, 27.5, 25.2, 19.8; HRMS (ESI, m/z) calcd for $C_{17}H_{21}ClN_2NaO_3$ $[M + Na]^+$ 359.1133, found 359.1132.



4ha

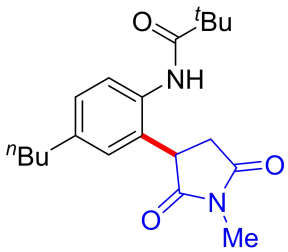
(*R*)-*N*-(4-(1-methyl-2,5-dioxopyrrolidin-3-yl)benzo[*d*][1,3]dioxol-5-yl)pivalamide (**4ha**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ha** as a white solid (61.1 mg, 92% yield); mp 182-184 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (s, 1H), 6.72 (s, 2H), 5.91-5.90 (m, 2H), 3.99 (dd, J = 8.6, 5.8 Hz, 1H), 3.13-2.98 (m, 5H), 1.26 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.2, 176.5, 146.0, 145.5, 129.6, 120.7, 115.9, 107.8, 101.7, 39.1, 38.8, 34.2, 27.5, 25.1; HRMS (ESI, m/z) calcd for $C_{17}H_{20}N_2NaO_5$ $[M + Na]^+$ 355.1264, found 355.1268.



4ia

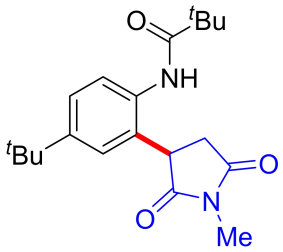
(*R*)-*N*-(4-methyl-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ia**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ia** as a white solid (57.4 mg, 95% yield); mp 114-116 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.62 (s, 1H), 7.43 (d, J = 8.1 Hz, 1H), 7.11 (d, J = 8.1 Hz, 1H), 6.89 (s, 1H), 4.25-4.16 (m, 1H), 3.10-3.04 (m, 2H), 2.99 (s, 3H), 2.29 (s, 3H), 1.31 (s, 9H); ^{13}C{^{1}H} NMR (100

MHz, $CDCl_3$): δ 179.4, 177.7, 176.1, 136.0, 134.0, 129.2, 129.1, 127.3, 125.7, 41.2, 39.3, 33.8, 27.6, 25.2, 21.1; HRMS (ESI, m/z) calcd for $C_{17}H_{22}N_2NaO_3$ $[M + Na]^+$ 325.1523, found 325.1547.



4ja

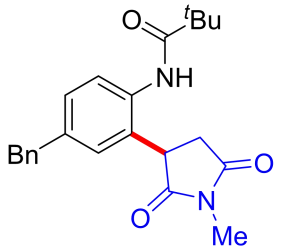
(*R*)-*N*-(4-butyl-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ja**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ja** as a white solid (60.6 mg, 88% yield); mp 119-121 °C; R_f=0.5 (petroleum ether:ethyl acetate=2:1, v/v); ^1H NMR (400 MHz, $CDCl_3$): δ 8.67 (s, 1H), 7.50 (d, J = 8.2 Hz, 1H), 7.14 (d, J = 8.2 Hz, 1H), 6.90 (s, 1H), 4.23 (t, J = 6.3 Hz, 1H), 3.10 (d, J = 6.3 Hz, 2H), 3.01 (s, 3H), 2.60-2.51 (m, 2H), 1.57-1.49 (m, 2H), 1.35-1.29 (m, 11H), 0.90 (t, J = 7.3 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 179.4, 177.7, 176.1, 141.0, 134.2, 128.9, 128.5, 127.3, 125.0, 41.3, 39.3, 35.3, 33.7, 33.6, 27.6, 25.2, 22.3, 13.8; HRMS (ESI, m/z) calcd for $C_{20}H_{29}N_2O_3$ $[M + H]^+$ 345.2173, found 345.2159.



4ka

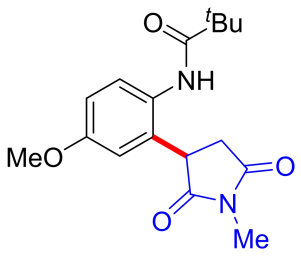
(*R*)-*N*-(4-(*tert*-butyl)-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ka**).

Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ka** as a white solid (64.0 mg, 93% yield); mp 158-160 °C; R_f=0.5 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.63 (s, 1H), 7.50 (d, J = 8.4 Hz, 1H), 7.34 (dd, J = 8.4, 1.8 Hz, 1H), 7.09 (d, J = 1.8 Hz, 1H), 4.30-4.19 (m, 1H), 3.13-3.07 (m, 2H), 3.01 (s, 3H), 1.31 (s, 9H), 1.26 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.4, 177.7, 176.1, 149.1, 133.9, 128.5, 127.0, 125.7, 122.0, 41.6, 39.2, 34.5, 33.6, 31.2, 27.5, 25.1; HRMS (ESI, m/z) calcd for $C_{20}H_{28}N_2NaO_3$ $[M + Na]^+$ 367.1992, found 367.2007.



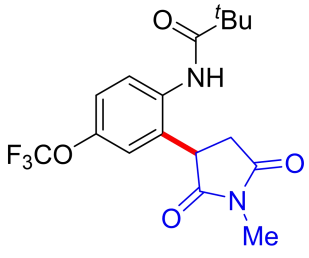
4la

(*R*)-*N*-(4-benzyl-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4la**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4la** as a white solid (72.6 mg, 96% yield); mp 153-155 °C; R_f=0.5 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.66 (s, 1H), 7.45 (d, J = 8.2 Hz, 1H), 7.18 (t, J = 7.3 Hz, 2H), 7.14-7.01 (m, 4H), 6.86 (s, 1H), 4.13 (t, J = 6.8 Hz, 1H), 3.85 (s, 2H), 2.96 (d, J = 6.8 Hz, 2H), 2.91 (s, 3H), 1.24 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.2, 177.6, 175.9, 140.3, 138.9, 134.7, 129.1, 128.7, 128.4, 127.4, 126.2, 125.7, 41.3, 41.2, 39.3, 33.5, 27.5, 25.1; HRMS (ESI, m/z) calcd for $C_{23}H_{27}N_2O_3$ $[M + H]^+$ 379.2016, found 379.2018.



4ma

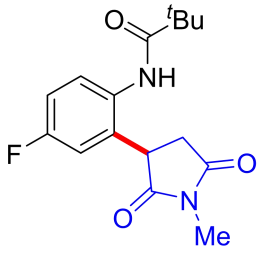
(*R*)-*N*-(4-methoxy-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ma**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ma** as a white solid (59.2 mg, 93% yield); mp 102-104 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.46 (s, 1H), 7.44 (d, J = 8.6 Hz, 1H), 6.84 (dd, J = 8.6, 2.2 Hz, 1H), 6.64 (d, J = 2.2 Hz, 1H), 4.20 (dd, J = 7.9, 4.5 Hz, 1H), 3.77 (s, 3H), 3.08-2.99 (m, 5H), 1.31 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.0, 177.9, 175.9, 157.7, 131.3, 129.2, 129.0, 112.7, 112.0, 55.5, 41.5, 39.2, 33.8, 27.6, 25.2; HRMS (ESI, m/z) calcd for $C_{17}H_{23}N_2O_4$ $[M + H]^+$ 319.1652, found 319.1653.



4na

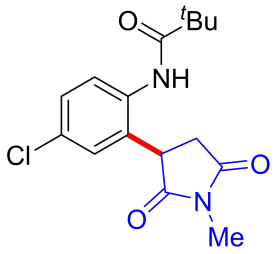
(*R*)-*N*-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-4-(trifluoromethoxy)phenyl)pivalamide (**4na**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4na** as a white solid (50.6 mg, 68% yield); mp 107-109 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.93 (s, 1H), 7.72 (d, J = 8.8 Hz, 1H), 7.21 (d, J = 8.8 Hz, 1H), 6.99 (s, 1H),

4.27 (dd, J = 8.8, 4.7 Hz, 1H), 3.19-3.08 (m, 2H), 3.04 (d, J = 8.1 Hz, 3H), 1.34 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 178.7, 177.8, 175.2, 146.5, 135.6, 130.4, 128.6, 121.1, 120.3 (q, J = 256.2 Hz), 118.3, 41.2, 39.5, 33.2, 27.5, 25.3; ^{19}F NMR(376 MHz, $CDCl_3$): δ –58.0; HRMS (ESI, m/z) calcd for $C_{17}H_{19}F_3N_2NaO_4$ $[M + Na]^+$ 395.1189, found 395.1194.



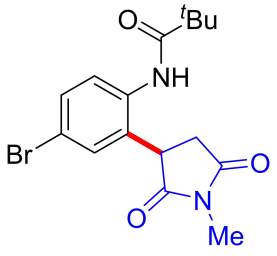
4oa

(*R*)-*N*-(4-fluoro-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4oa**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4oa** as a white solid (50.2 mg, 82% yield); mp 155-157 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.70 (s, 1H), 7.57 (dd, J = 8.6, 5.4 Hz, 1H), 7.05-7.01 (m, 1H), 6.85 (d, J = 9.3 Hz, 1H), 4.23 (dd, J = 8.9, 4.3 Hz, 1H), 3.20-3.04 (m, 2H), 3.03 (d, J = 8.6 Hz, 3H), 1.32 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 178.7, 177.8, 175.4, 160.3 (d, J = 244.5 Hz), 132.6 (d, J = 3.1 Hz), 131.5 (d, J = 7.3 Hz), 129.3 (d, J = 8.5 Hz), 115.3 (d, J = 21.9 Hz), 112.5 (d, J = 24.1 Hz), 41.2, 39.3, 33.5, 27.5, 25.2; ^{19}F NMR(376 MHz, $CDCl_3$): δ –114.8; HRMS (ESI, m/z) calcd for $C_{16}H_{20}FN_2O_3$ $[M + H]^+$ 307.1452, found 307.1463.



4pa

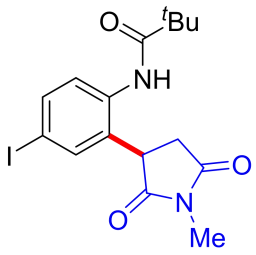
(*R*)-*N*-(4-chloro-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4pa**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4pa** as a white solid (55.4 mg, 86% yield); mp 148-150 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.79 (s, 1H), 7.53 (d, J = 8.6 Hz, 1H), 7.27-7.18 (m, 1H), 7.04 (d, J = 1.9 Hz, 1H), 4.17 (dd, J = 8.4, 4.6 Hz, 1H), 3.10-3.00 (m, 2H), 2.95 (s, 3H), 1.26 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.7, 177.7, 175.4, 135.4, 131.3, 130.6, 128.6, 128.5, 125.4, 41.0, 39.4, 33.4, 27.5, 25.3; HRMS (ESI, m/z) calcd for $C_{16}H_{20}ClN_2O_3$ $[M + H]^+$ 323.1157, found 323.1166.



4qa

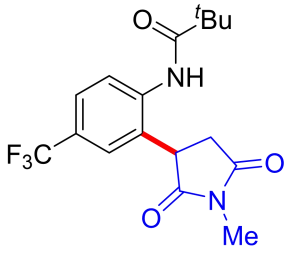
(*R*)-*N*-(4-bromo-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4qa**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4qa** as a white solid (59.3 mg, 81% yield); mp 172-174 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.85 (s, 1H), 7.51 (d, J = 8.6 Hz, 1H), 7.42 (dd, J = 8.6, 1.8 Hz, 1H), 7.23 (d, J = 1.8 Hz,

1H), 4.22 (dd, J = 8.7, 4.7 Hz, 1H), 3.07 (dd, J = 10.8, 6.8 Hz, 2H), 3.00 (s, 3H), 1.31 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 178.7, 177.7, 175.4, 135.9, 131.5, 130.9, 128.7, 128.2, 119.0, 40.9, 39.4, 33.3, 27.5, 25.2; HRMS (ESI, m/z) calcd for $C_{16}H_{20}BrN_2O_3$ $[M + H]^+$ 367.0652, found 367.0656.



4ra

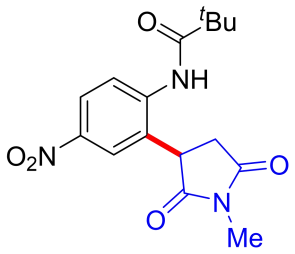
(*R*)-*N*-(4-iodo-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ra**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ra** as a white solid (69.6 mg, 84% yield); mp 191-193 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.87 (s, 1H), 7.60 (dd, J = 8.5, 1.7 Hz, 1H), 7.39-7.37 (m, 2H), 4.20 (dd, J = 8.6, 4.8 Hz, 1H), 3.08 (dd, J = 22.1, 12.1 Hz, 2H), 3.00 (s, 3H), 1.31 (s, 9H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$): δ 178.8, 177.6, 175.4, 137.5, 136.7, 134.0, 131.0, 128.9, 89.9, 40.7, 39.4, 33.3, 27.5, 25.3; HRMS (ESI, m/z) calcd for $C_{16}H_{20}IN_2O_3$ $[M + H]^+$ 415.0513, found 415.0516.



4sa

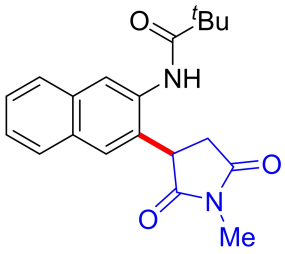
(*R*)-*N*-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-4-(trifluoromethyl)phenyl)pivalamide

(**4sa**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4sa** as a white solid (51.3 mg, 72% yield); mp 183-185 °C; R_f=0.6 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.21 (s, 1H), 7.91 (d, J = 8.4 Hz, 1H), 7.60 (d, J = 8.4 Hz, 1H), 7.38 (s, 1H), 4.32 (t, J = 6.7 Hz, 1H), 3.18 (d, J = 6.6 Hz, 2H), 3.04 (s, 3H), 1.35 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.8, 177.7, 175.2, 140.4, 128.5, 127.5 (q, J = 32.7 Hz), 127.0, 125.7 (q, J = 3.6 Hz), 123.7 (d, J = 270.4 Hz), 122.1 (d, J = 3.7 Hz), 41.0, 39.6, 33.0, 27.5, 25.4; ^{19}F NMR(376 MHz, $CDCl_3$): δ –62.2; HRMS (ESI, m/z) calcd for $C_{17}H_{20}F_3N_2O_3$ $[M + H]^+$ 357.1421, found 357.1430.



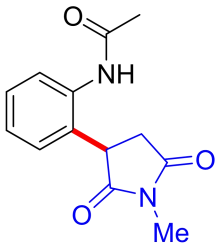
4ta

(*R*)-*N*-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-4-nitrophenyl)pivalamide (**4ta**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=4:1, v/v) afforded **4ta** as a yellow solid (38.6 mg, 58% yield); mp 197-199 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.55 (s, 1H), 8.22 (d, J = 8.4 Hz, 1H), 8.10-8.09 (m, 2H), 4.34 (dd, J = 8.6, 4.3 Hz, 1H), 3.28-3.23 (m, 2H), 3.06 (s, 3H), 1.37 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.6, 177.7, 174.7, 144.2, 143.6, 128.0, 126.3, 124.1, 120.7, 40.9, 39.9, 32.8, 27.5, 25.5; HRMS (ESI, m/z) calcd for $C_{16}H_{20}N_3O_5$ $[M + H]^+$ 334.1397, found 334.1406.



4ua

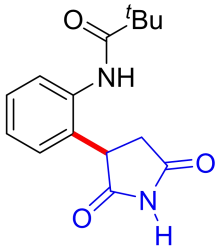
(*R*)-*N*-(3-(1-methyl-2,5-dioxopyrrolidin-3-yl)naphthalen-2-yl)pivalamide (**4ua**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ua** as a white solid (58.2 mg, 86% yield); mp 211-213 °C; R_f=0.4 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.06 (s, 1H), 8.10 (s, 1H), 7.76 (d, J = 7.6 Hz, 1H), 7.71 (d, J = 7.6 Hz, 1H), 7.52 (s, 1H), 7.48-7.40 (m, 2H), 4.37 (dd, J = 8.3, 4.2 Hz, 1H), 3.19-3.15 (m, 2H), 3.01 (s, 3H), 1.39 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.2, 177.8, 175.9, 133.6, 132.9, 130.7, 128.1, 127.4, 127.3, 126.7, 126.0, 125.2, 124.6, 41.2, 39.5, 33.8, 27.6, 25.1; HRMS (ESI, m/z) calcd for $C_{20}H_{23}N_2O_3$ $[M + H]^+$ 339.1703, found 339.1693.



4va

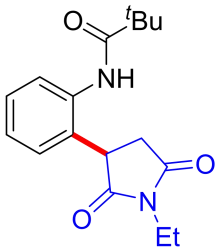
(*R*)-*N*-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)phenyl)acetamide (**4va**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4va** as a colorless oil (42.8 mg, 87% yield); R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.64 (s, 1H), 7.49 (d, J = 7.8 Hz, 1H), 7.31-7.26 (m, 1H), 7.19 (t, J = 7.3 Hz, 1H), 7.09 (d, J = 7.7 Hz, 1H), 4.29 (dd, J = 9.2, 4.5 Hz, 1H), 3.11-3.02 (m, 2H), 2.99 (s, 3H), 2.14 (s, 3H); ^{13}C{^{1}H} NMR (100

MHz, $CDCl_3$): δ 179.3, 175.9, 169.1, 136.4, 129.5, 128.7, 127.4, 126.5, 125.4, 41.4, 33.9, 25.2, 24.0; HRMS (ESI, m/z) calcd for $C_{13}H_{15}N_2O_3$ $[M + H]^+$ 247.1077, found 247.1087.



4ab

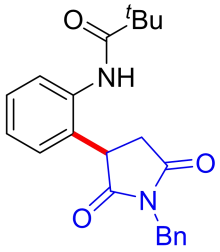
(*R*)-*N*-(2-(2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ab**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=4:1, v/v) afforded **4ab** as a white solid (47.2 mg, 86% yield); mp 186-188 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^1H NMR (400 MHz, $CDCl_3$): δ 8.92 (s, 1H), 8.65 (s, 1H), 7.58 (d, J = 7.9 Hz, 1H), 7.32 (t, J = 7.6 Hz, 1H), 7.20 (t, J = 7.5 Hz, 1H), 7.13 (d, J = 7.7 Hz, 1H), 4.26 (dd, J = 8.5, 5.3 Hz, 1H), 3.14-3.01 (m, 2H), 1.33 (s, 9H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$): δ 179.6, 178.0, 176.2, 136.5, 129.3, 128.7, 127.6, 126.3, 125.4, 42.7, 39.4, 34.9, 27.6; HRMS (ESI, m/z) calcd for $C_{15}H_{19}N_2O_3$ $[M + H]^+$ 275.1390, found 275.1402.



4ac

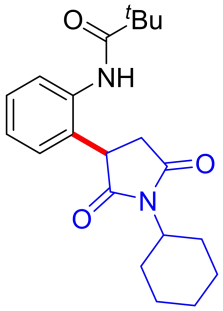
(*R*)-*N*-(2-(1-ethyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ac**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v)

afforded **4ac** as a white solid (54.4 mg, 90% yield); mp 106-108 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.90 (s, 1H), 7.67 (d, J = 7.8 Hz, 1H), 7.33 (t, J = 7.1 Hz, 1H), 7.19 (t, J = 7.1 Hz, 1H), 7.12 (d, J = 7.7 Hz, 1H), 4.25 (t, J = 6.6 Hz, 1H), 3.57 (dd, J = 13.9, 6.8 Hz, 2H), 3.10 (d, J = 6.6 Hz, 2H), 1.35 (s, 9H), 1.16 (t, J = 7.2 Hz, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.1, 177.6, 175.7, 136.9, 128.9, 128.5, 127.2, 125.9, 124.8, 41.0, 39.4, 34.1, 33.6, 27.6, 12.9; HRMS (ESI, m/z) calcd for $C_{17}H_{23}N_2O_3$ [M + H]$^+$ 303.1703, found 303.1714.



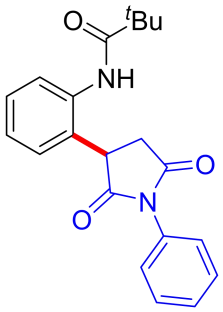
4ad

(*R*)-*N*-(2-(1-benzyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ad**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=6:1, v/v) afforded **4ad** as a white solid (69.2 mg, 95% yield); mp 139-141 °C; R_f=0.5 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.73 (s, 1H), 7.55 (d, J = 7.8 Hz, 1H), 7.28-7.18 (m, 6H), 7.09 (t, J = 7.4 Hz, 1H), 7.00 (d, J = 7.7 Hz, 1H), 4.58 (q, J = 14.2 Hz, 2H), 4.18 (t, J = 5.7 Hz, 1H), 3.01 (d, J = 5.7 Hz, 2H), 1.26 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.8, 177.6, 175.5, 136.7, 135.2, 129.0, 128.7, 128.5, 128.5, 128.0, 127.3, 126.0, 125.0, 42.6, 41.2, 39.3, 33.6, 27.6; HRMS (ESI, m/z) calcd for $C_{22}H_{25}N_2O_3$ [M + H]$^+$ 365.1860, found 365.1866.



4ae

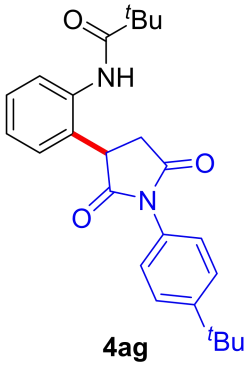
(*R*)-*N*-(2-(1-cyclohexyl-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ae**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=6:1, v/v) afforded **4ae** as a white solid (59.8 mg, 84% yield); mp 206-208 °C; R_f=0.6 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.98 (s, 1H), 7.70 (d, J = 8.0 Hz, 1H), 7.33 (t, J = 7.6 Hz, 1H), 7.18 (t, J = 7.5 Hz, 1H), 7.10 (d, J = 7.7 Hz, 1H), 4.20 (t, J = 6.4 Hz, 1H), 3.99-3.92 (m, 1H), 3.06 (d, J = 6.5 Hz, 2H), 2.20-1.99 (m, 2H), 1.81 (d, J = 10.1 Hz, 2H), 1.66-1.56 (m, 3H), 1.36 (s, 9H), 1.31-1.17 (m, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 179.5, 177.6, 176.1, 136.9, 128.9, 128.4, 127.0, 125.8, 124.4, 52.1, 40.6, 39.4, 33.5, 28.8, 28.5, 27.7, 25.7, 25.6, 24.9; HRMS (ESI, m/z) calcd for $C_{21}H_{29}N_2O_3$ $[M + H]^+$ 357.2173, found 357.2160.



4af

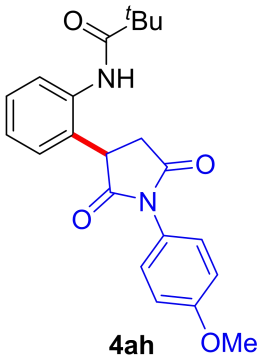
(*R*)-*N*-(2-(2,5-dioxo-1-phenylpyrrolidin-3-yl)phenyl)pivalamide (**4af**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4af** as a white solid (49.0 mg, 70% yield); mp 211-213 °C; R_f=0.3

(petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.79 (s, 1H), 7.63 (d, J = 8.0 Hz, 1H), 7.40 (t, J = 7.4 Hz, 2H), 7.36-7.27 (m, 2H), 7.19-7.17 (m, 4H), 4.38 (dd, J = 8.2, 4.9 Hz, 1H), 3.30-3.17 (m, 2H), 1.28 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.4, 177.7, 174.9, 136.9, 131.3, 129.3, 128.9, 128.8, 128.7, 127.4, 126.3, 126.1, 124.8, 41.2, 39.4, 33.9, 27.6; HRMS (ESI, m/z) calcd for $C_{21}H_{23}N_2O_3$ $[M + H]^+$ 351.1703, found 351.1712.



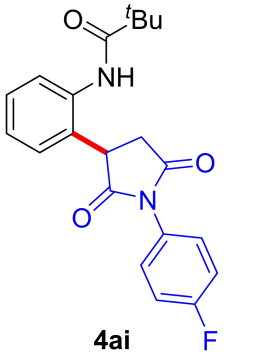
4ag

(*R*)-*N*-(2-(1-(4-(*tert*-butyl)phenyl)-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ag**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ag** as a white solid (64.2 mg, 79% yield); mp 90-92 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.86 (s, 1H), 7.63 (d, J = 7.8 Hz, 1H), 7.41 (d, J = 8.1 Hz, 2H), 7.30 (d, J = 3.6 Hz, 1H), 7.17 (d, J = 3.5 Hz, 2H), 7.10 (d, J = 8.1 Hz, 2H), 4.38 (s, 1H), 3.22 (s, 2H), 1.28 (s, 9H), 1.24 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.6, 177.7, 175.2, 152.0, 136.9, 128.7, 128.6, 128.4, 127.3, 126.3, 126.0, 125.7, 124.7, 41.1, 39.4, 34.7, 33.7, 31.1, 27.6; HRMS (ESI, m/z) calcd for $C_{25}H_{31}N_2O_3$ $[M + H]^+$ 407.2329, found 407.2345.



4ah

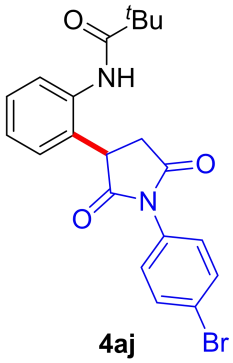
(*R*)-*N*-(2-(1-(4-methoxyphenyl)-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ah**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ah** as a white solid (55.5 mg, 73% yield); mp 174-176 °C; R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.93 (s, 1H), 7.68 (d, J = 7.9 Hz, 1H), 7.40-7.31 (m, 1H), 7.23 (d, J = 3.9 Hz, 2H), 7.15 (d, J = 8.6 Hz, 2H), 6.96 (d, J = 8.5 Hz, 2H), 4.42 (dd, J = 7.6, 4.7 Hz, 1H), 3.80 (s, 3H), 3.27-3.20 (m, 2H), 1.34 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.6, 177.7, 175.2, 159.6, 136.8, 128.8, 128.6, 127.5, 127.2, 126.0, 124.8, 123.7, 114.4, 55.4, 41.0, 39.3, 33.6, 27.5; HRMS (ESI, m/z) calcd for $C_{22}H_{25}N_2O_4$ $[M + H]^+$ 381.1809, found 381.1817.



4ai

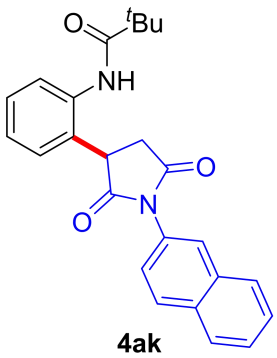
(*R*)-*N*-(2-(1-(4-fluorophenyl)-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ai**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ai** as a white solid (48.6 mg, 66% yield); mp 183-185 °C;

R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.80 (s, 1H), 7.67 (d, J = 7.9 Hz, 1H), 7.38-7.34 (m, 1H), 7.26-7.23 (m, 4H), 7.14 (t, J = 8.4 Hz, 2H), 4.43 (s, 1H), 3.35-3.19 (m, 2H), 1.34 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.3, 177.7, 174.8, 166.2 (d, J = 247.8 Hz), 136.9, 128.9, 128.8, 128.2 (d, J = 8.7 Hz), 127.4, 127.2 (d, J = 3.1 Hz), 126.2, 124.8, 116.3 (d, J = 22.8 Hz), 41.1, 39.4, 33.9, 27.6; ^{19}F NMR(376 MHz, $CDCl_3$): δ –111.6; HRMS (ESI, m/z) calcd for $C_{21}H_{21}FN_2NaO_3$ $[M + Na]^+$ 391.1428, found 391.1442.



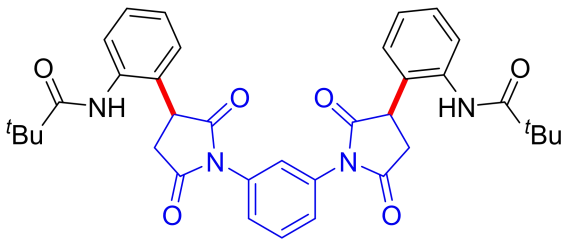
4aj

(*R*)-*N*-(2-(1-(4-bromophenyl)-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4aj**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4aj** as a white solid (58.2 mg, 68% yield); mp 221-223 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, DMSO-d_6): δ 9.21 (s, 1H), 7.73 (d, J = 8.5 Hz, 2H), 7.43-7.25 (m, 5H), 7.17 (d, J = 7.6 Hz, 1H), 4.41 (dd, J = 9.5, 5.5 Hz, 1H), 3.23 (dd, J = 18.2, 9.7 Hz, 1H), 2.79 (dd, J = 18.2, 5.4 Hz, 1H), 1.23 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6): δ 177.7, 177.4, 175.4, 137.1, 135.4, 131.9, 131.8, 129.3, 128.5, 128.4, 127.8, 126.8, 121.3, 42.4, 36.8, 27.3; HRMS (ESI, m/z) calcd for $C_{21}H_{22}BrN_2O_3$ $[M + H]^+$ 429.0808, found 429.0817.



4ak

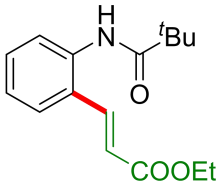
(*R*)-*N*-(2-(1-(naphthalen-2-yl)-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4ak**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **4ak** as a white solid (61.6 mg, 77% yield); mp 132-134 °C; R_f=0.3 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.87 (s, 1H), 7.90 (d, J = 8.6 Hz, 1H), 7.87-7.80 (m, 2H), 7.76 (s, 1H), 7.69 (d, J = 7.8 Hz, 1H), 7.55-7.47 (m, 2H), 7.41-7.24 (m, 4H), 4.48 (s, 1H), 3.31 (s, 2H), 1.34 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 178.5, 177.7, 175.1, 136.9, 133.0, 132.9, 129.2, 128.9, 128.7, 128.6, 128.1, 127.7, 127.4, 127.1, 126.7, 126.1, 125.6, 124.9, 123.5, 41.3, 39.4, 33.9, 27.6; HRMS (ESI, m/z) calcd for $C_{25}H_{24}N_2NaO_3$ $[M + Na]^+$ 423.1679, found 423.1674.



4al

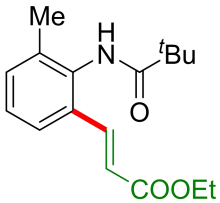
N-(2-((*R*)-1-(3-((*S*)-2,5-dioxo-3-(2-pivalamidophenyl)pyrrolidin-1-yl)phenyl)-2,5-dioxopyrrolidin-3-yl)phenyl)pivalamide (**4al**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=3:1, v/v) afforded **4al** as a white solid (58.5 mg, 47% yield); mp 134-136 °C; R_f=0.5 (petroleum ether:ethyl acetate=1:1,

v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.68 (s, 2H), 7.67 (d, J = 8.0 Hz, 2H), 7.56 (t, J = 7.9 Hz, 1H), 7.40-7.35 (m, 5H), 7.25-7.22 (m, 4H), 4.44-4.40 (m, 2H), 3.35-3.23 (m, 4H), 1.34 (s, 18H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.8, 177.7, 174.3, 136.9, 132.2, 129.6, 129.0, 128.9, 127.5, 126.3, 126.2, 125.1, 123.6, 41.2, 39.4, 34.0, 27.7; HRMS (ESI, m/z) calcd for $C_{36}H_{38}N_4NaO_6$ [M + Na]$^+$ 645.2684, found 645.2689.



5aa

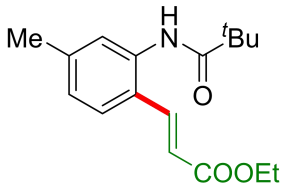
Ethyl (*E*)-3-(2-pivalamidophenyl)acrylate (**5aa**)[5]. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5aa** as a white solid (34.1 mg, 62% yield); mp 82-84 °C; R_f=0.5 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (d, J = 15.9 Hz, 1H), 7.64 (d, J = 8.0 Hz, 1H), 7.56-7.51 (m, 1H), 7.49 (s, 1H), 7.39-7.33 (m, 1H), 7.19 (t, J = 7.5 Hz, 1H), 6.36 (d, J = 15.9 Hz, 1H), 4.22 (q, J = 7.1 Hz, 2H), 1.34 (s, 9H), 1.30 (t, J = 7.1 Hz, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.1, 166.5, 139.2, 135.9, 130.6, 128.3, 127.0, 125.9, 125.4, 120.5, 60.6, 39.6, 27.5, 14.2.



5ba

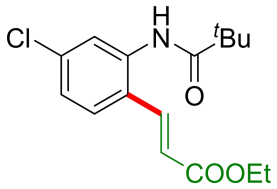
Ethyl (*E*)-3-(3-methyl-2-pivalamidophenyl)acrylate (**5ba**)[5]. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ba** as a white solid (23.1 mg, 40% yield); mp 92-94 °C; R_f=0.5 (petroleum

ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, J = 16.0 Hz, 1H), 7.45 (d, J = 7.4 Hz, 1H), 7.27-7.14 (m, 3H), 6.33 (d, J = 16.0 Hz, 1H), 4.21 (q, J = 7.1 Hz, 2H), 2.19 (s, 3H), 1.36 (s, 9H), 1.30 (t, J = 7.1 Hz, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.2, 166.8, 140.4, 136.3, 134.5, 132.2, 132.1, 127.4, 124.3, 60.4, 39.3, 27.7, 18.1, 14.2; HRMS (ESI, m/z) calcd for $C_{17}H_{23}NNaO_3$ $[M + Na]^+$ 312.1570, found 312.1583.



5ca

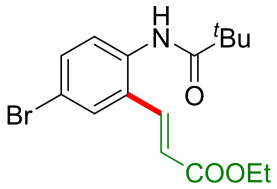
Ethyl (*E*)-3-(4-methyl-2-pivalamidophenyl)acrylate (**5ca**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ca** as a white solid (34.7 mg, 60% yield); mp 112-114 °C; R_f=0.5 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, J = 15.9 Hz, 1H), 7.51 (s, 1H), 7.44-7.42 (m, 2H), 7.00 (d, J = 7.8 Hz, 1H), 6.33 (d, J = 15.9 Hz, 1H), 4.22 (q, J = 7.1 Hz, 2H), 2.34 (s, 3H), 1.37-1.28 (m, 12H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.1, 166.7, 141.3, 139.1, 135.8, 126.9, 126.8, 125.8, 125.3, 119.4, 60.5, 39.6, 27.6, 21.4, 14.2; HRMS (ESI, m/z) calcd for $C_{17}H_{24}NO_3$ $[M + H]^+$ 290.1751, found 290.1734.



5da

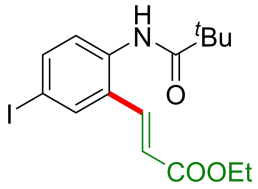
Ethyl (*E*)-3-(4-chloro-2-pivalamidophenyl)acrylate (**5da**). Purification by column

chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5da** as a white solid (29.1 mg, 47% yield); mp 68-70 °C; R_f=0.6 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, J = 2.0 Hz, 1H), 7.57 (d, J = 16.0 Hz, 1H), 7.48 (s, 1H), 7.36 (d, J = 8.4 Hz, 1H), 7.08 (dd, J = 8.4, 2.0 Hz, 1H), 6.27 (d, J = 16.0 Hz, 1H), 4.17 (q, J = 7.2 Hz, 2H), 1.31-1.25 (m, 12H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.0, 166.3, 138.0, 136.8, 136.2, 128.0, 126.2, 125.9, 125.0, 121.0, 60.7, 39.7, 27.5, 14.2; HRMS (ESI, m/z) calcd for $C_{16}H_{21}ClNO_3$ $[M + H]^+$ 310.1204, found 310.1214.



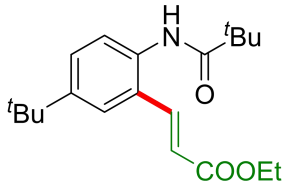
5ea

Ethyl (*E*)-3-(5-bromo-2-pivalamidophenyl)acrylate (**5ea**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ea** as a white solid (36.0 mg, 51% yield); mp 89-91 °C; R_f=0.6 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.60 (d, J = 15.1 Hz, 2H), 7.54 (d, J = 8.3 Hz, 1H), 7.49-7.41 (m, 2H), 6.34 (d, J = 15.8 Hz, 1H), 4.23 (dd, J = 13.5, 6.6 Hz, 2H), 1.35-1.28 (m, 12H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.0, 166.1, 137.7, 134.9, 133.3, 129.9, 129.7, 126.7, 121.9, 118.9, 60.8, 39.7, 27.5, 14.2; HRMS (ESI, m/z) calcd for $C_{16}H_{21}BrNO_3$ $[M + H]^+$ 354.0699, found 354.0708.



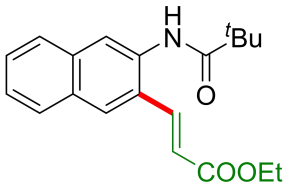
5fa

Ethyl (*E*)-3-(5-iodo-2-pivalamidophenyl)acrylate (**5fa**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5fa** as a white solid (39.3 mg, 49% yield); mp 98-100 °C; R_f=0.6 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.83 (s, 1H), 7.66-7.58 (m, 2H), 7.49-7.41 (m, 2H), 6.35 (d, J = 15.8 Hz, 1H), 4.24 (q, J = 7.2 Hz, 2H), 1.36-1.29 (m, 12H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 166.1, 139.2, 137.5, 135.7, 135.6, 130.0, 129.9, 126.7, 121.8, 89.7, 60.8, 39.7, 27.5, 14.2; HRMS (ESI, m/z) calcd for $C_{16}H_{20}INNaO_3$ $[M + Na]^+$ 424.0380, found 424.0376.



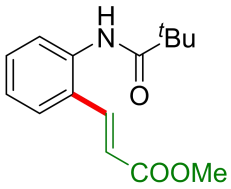
5ga

Ethyl (*E*)-3-(5-(tert-butyl)-2-pivalamidophenyl)acrylate (**5ga**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ga** as a white solid (40.4 mg, 61% yield); mp 92-94 °C; R_f=0.6 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.76 (d, J = 16.0 Hz, 1H), 7.61 (d, J = 8.6 Hz, 1H), 7.55 (s, 1H), 7.42 (d, J = 8.5 Hz, 1H), 7.30 (s, 1H), 6.40 (d, J = 15.9 Hz, 1H), 4.26 (q, J = 7.0 Hz, 2H), 1.36-1.32 (m, 21H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.0, 166.6, 148.8, 139.8, 133.4, 128.1, 127.6, 124.9, 123.9, 120.4, 60.6, 39.6, 34.5, 31.2, 27.7, 14.3; HRMS (ESI, m/z) calcd for $C_{20}H_{30}NO_3$ $[M + H]^+$ 332.2220, found 332.2224.



5ha

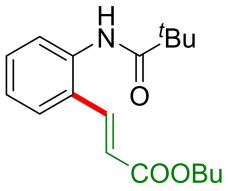
Ethyl (*E*)-3-(3-pivalamidonaphthalen-2-yl)acrylate (**5ha**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ha** as a white solid (27.3 mg, 42% yield); mp 107-109 °C; R_f=0.6 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.18 (s, 1H), 7.95 (s, 1H), 7.85-7.73 (m, 3H), 7.60 (s, 1H), 7.50-7.40 (m, 2H), 6.47 (d, J = 15.8 Hz, 1H), 4.27 (q, J = 7.2 Hz, 2H), 1.39 (s, 9H), 1.34 (t, J = 7.1 Hz, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.3, 166.4, 139.6, 134.3, 132.7, 130.8, 128.0, 127.6, 127.4, 127.3, 126.1, 122.4, 121.4, 60.7, 39.7, 27.6, 14.2; HRMS (ESI, m/z) calcd for $C_{20}H_{23}NNaO_3$ [M + Na]$^+$ 348.1570, found 348.1575.



5ab

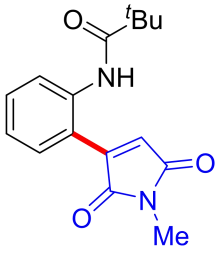
Methyl (*E*)-3-(2-pivalamidophenyl)acrylate (**5ab**)[5]. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ab** as a white solid (31.9 mg, 61% yield); mp 77-79 °C; R_f=0.5 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75 (d, J = 15.9 Hz, 1H), 7.67 (d, J = 8.0 Hz, 1H), 7.53 (d, J = 7.6 Hz, 1H), 7.46 (s, 1H), 7.37 (t, J = 7.5 Hz, 1H), 7.20 (t, J = 7.5 Hz, 1H), 6.38 (d, J = 15.9 Hz, 1H), 3.78 (s, 3H), 1.35 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.1, 167.0, 139.6, 135.9, 130.7, 128.1, 127.1,

125.9, 125.3, 120.0, 51.8, 39.6, 27.6.



5ac

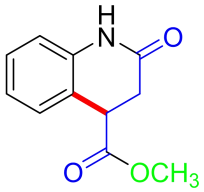
Butyl (*E*)-3-(2-pivalamidophenyl)acrylate (**5ac**)[5]. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=8:1, v/v) afforded **5ac** as a white solid (40.0 mg, 66% yield); mp 66-68 °C; R_f=0.6 (petroleum ether:ethyl acetate=4:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77-7.70 (m, 2H), 7.55 (d, J = 7.8 Hz, 1H), 7.42-7.36 (m, 2H), 7.20 (t, J = 7.5 Hz, 1H), 6.39 (d, J = 15.9 Hz, 1H), 4.19 (t, J = 6.5 Hz, 2H), 1.70-1.63 (m, 2H), 1.46-1.40 (m, 2H), 1.36 (s, 9H), 0.95 (t, J = 7.3 Hz, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 177.0, 166.6, 139.1, 135.9, 130.7, 128.0, 127.1, 125.8, 125.2, 120.8, 64.5, 39.6, 30.7, 27.6, 19.2, 13.7.



6

N-(2-(1-methyl-2,5-dioxo-2,5-dihydro-1*H*-pyrrol-3-yl)phenyl)pivalamide (**6**). Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=5:1, v/v) afforded **6** as a white solid (32.0 mg, 56% yield); R_f=0.2 (petroleum ether:ethyl acetate=2:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.79 (s, 1H), 7.81 (d, J = 7.9 Hz, 1H), 7.48 (t, J = 7.5 Hz, 1H), 7.37 (d, J = 7.4 Hz, 1H), 7.22 (t, J = 7.6 Hz, 1H), 6.64 (s, 1H), 3.11 (s, 3H), 1.26 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 171.8,

169.6, 167.2, 146.5, 135.6, 131.7, 130.6, 128.4, 126.5, 125.7, 122.9, 29.7, 27.5, 24.2; HRMS (ESI, m/z) calcd for $C_{16}H_{19}N_2O_3$ $[M + H]^+$ 287.1390, found 287.1397.



7

Methyl (*R*)-2-oxo-1,2,3,4-tetrahydroquinoline-4-carboxylate (**7**)[6]. Purification by column chromatography on silica gel (eluent: petroleum ether:ethyl acetate=2:1, v/v) afforded **7** as a white solid (27.5 mg, 67% yield); mp 163-165 °C; R_f=0.2 (petroleum ether:ethyl acetate=1:1, v/v); ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.48 (s, 1H), 7.29-7.22 (m, 2H), 7.03 (t, J = 7.5 Hz, 1H), 6.82 (d, J = 7.9 Hz, 1H), 3.97-3.94 (m, 1H), 3.71 (s, 3H), 2.99 (dd, J = 16.4, 3.7 Hz, 1H), 2.79 (dd, J = 16.4, 6.6 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$): δ 172.0, 169.4, 137.0, 129.0, 128.9, 123.4, 120.0, 115.9, 52.6, 42.3, 32.8.

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(2) Y. Gao, Y. Mao, B. Zhang, Y. Zhan, Y. Huo, *Org. Biomol. Chem.* 2018, **16**, 3881-3884.

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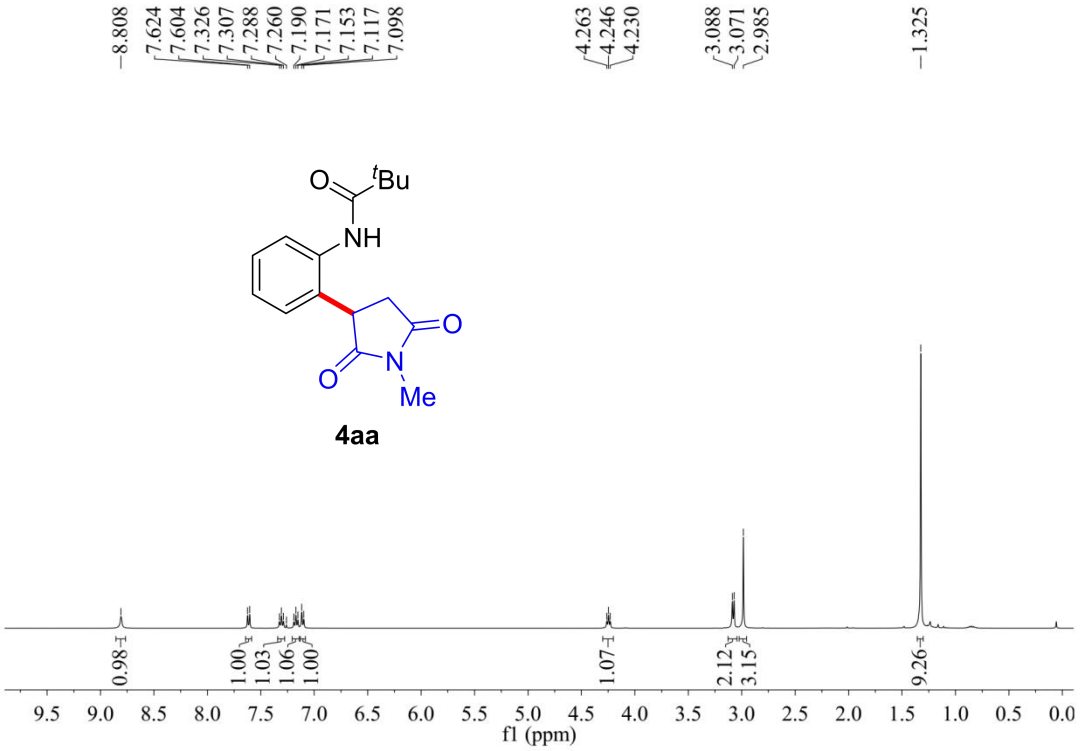
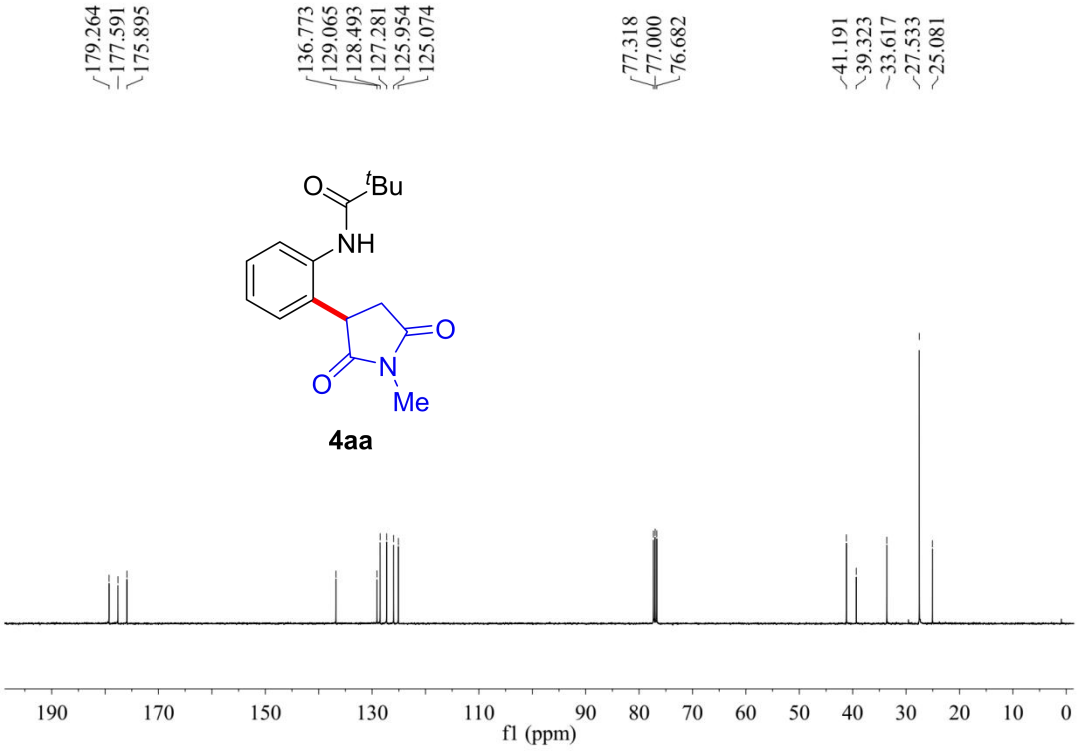
(6) Z. Zhao, G. Zeng, Y. Chen, J. Zheng, Z. Chen, Y. Shao, F. Zhang, J. Chen, R. Li, *Org. Lett.* 2021, **23**, 7955-7960.

4. NMR spectrum

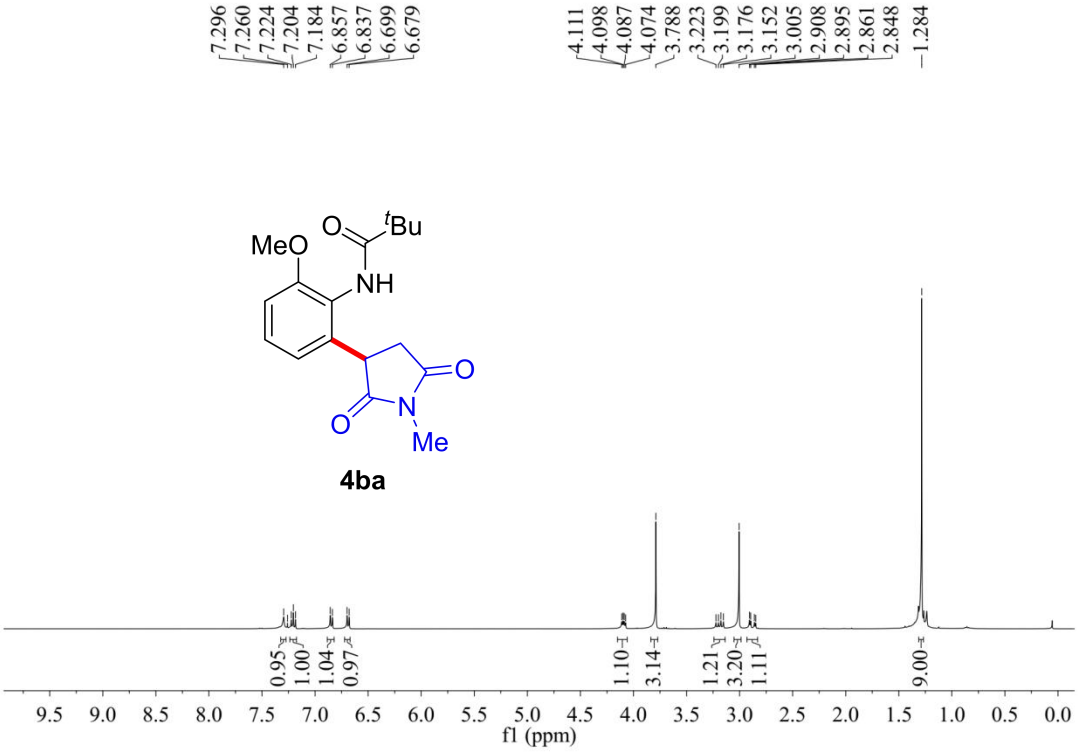
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4aa**



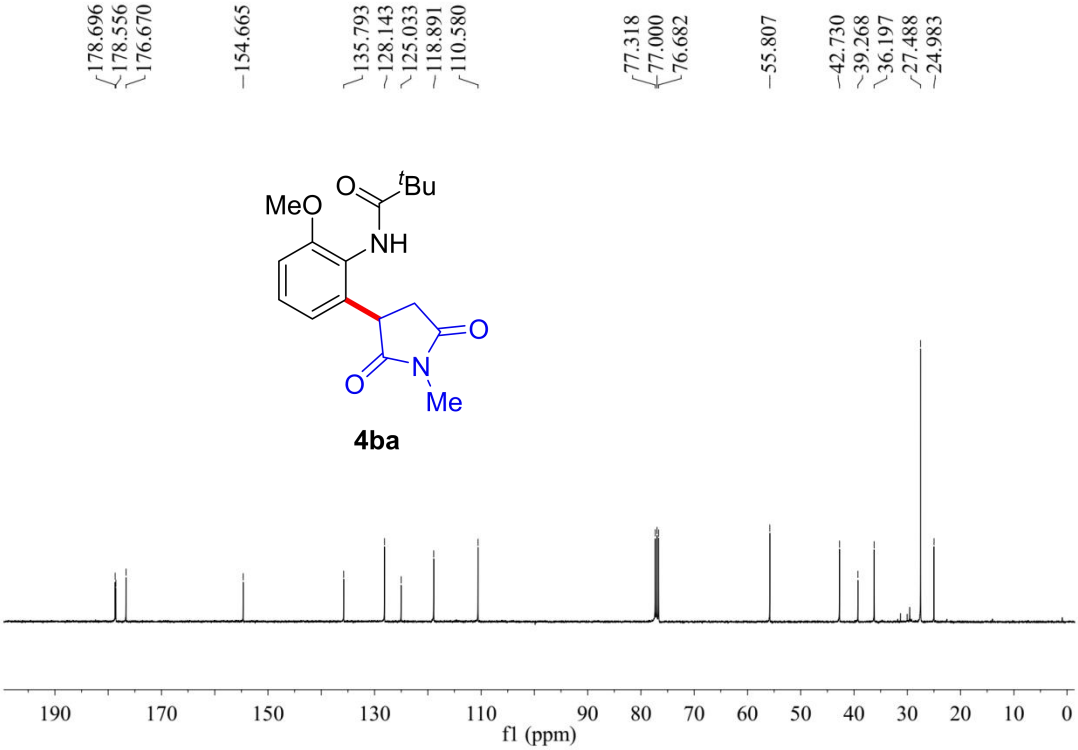
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4aa**



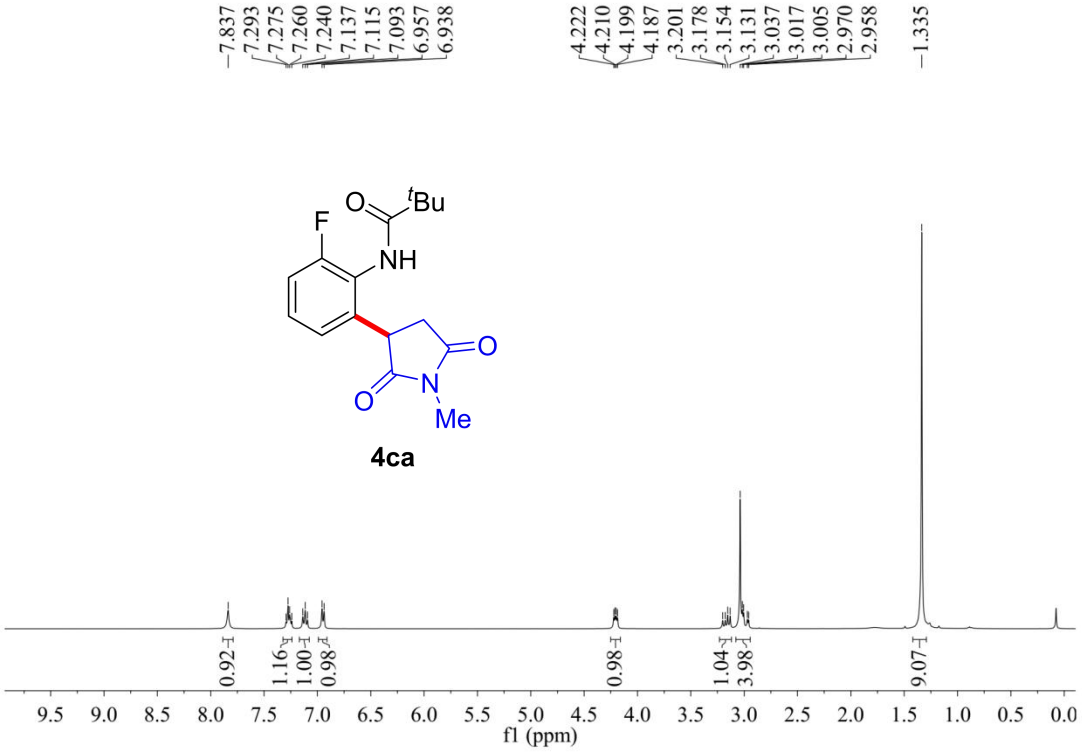
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ba**



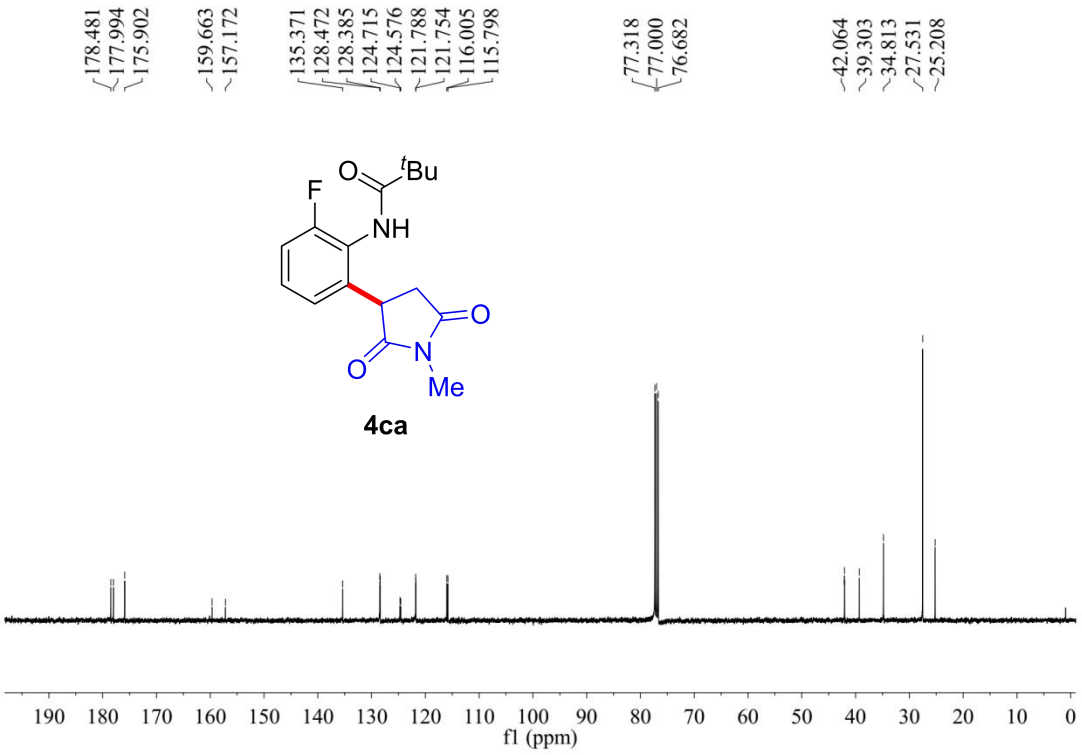
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ba**



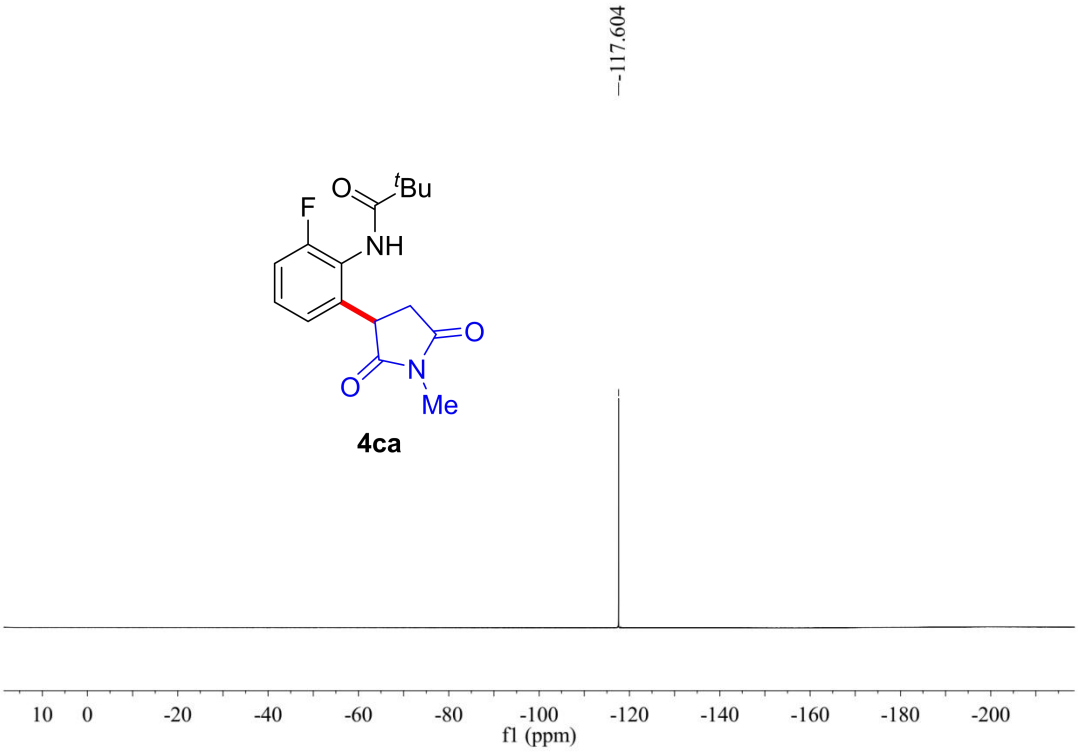
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ca**



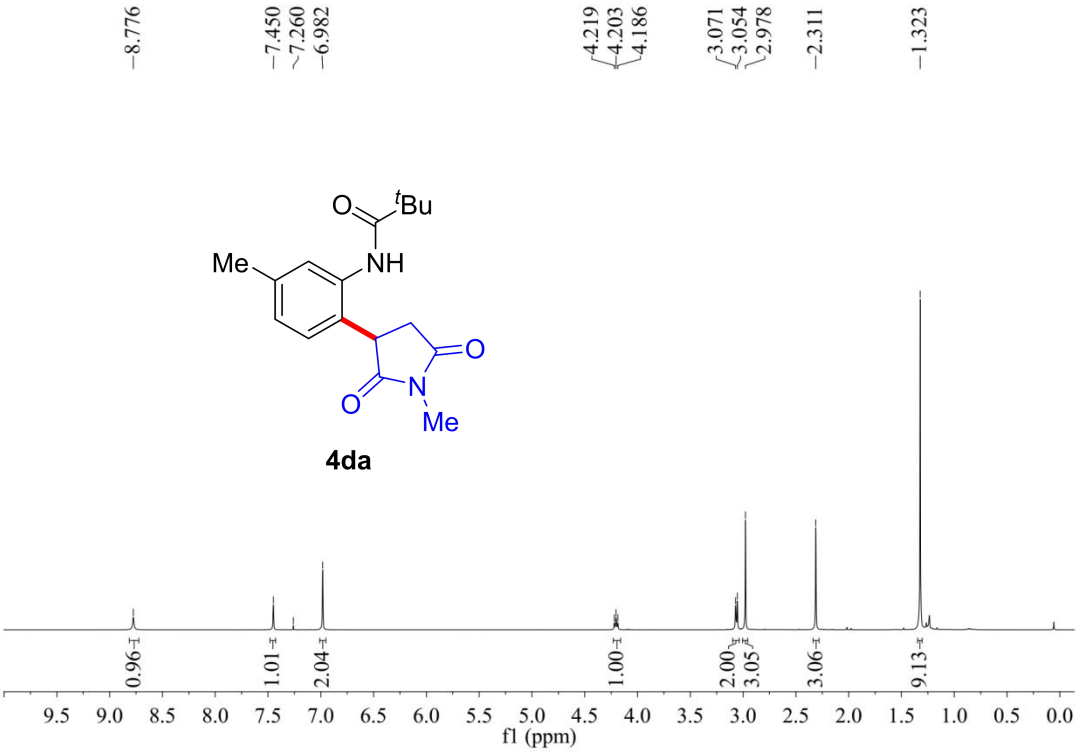
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ca**



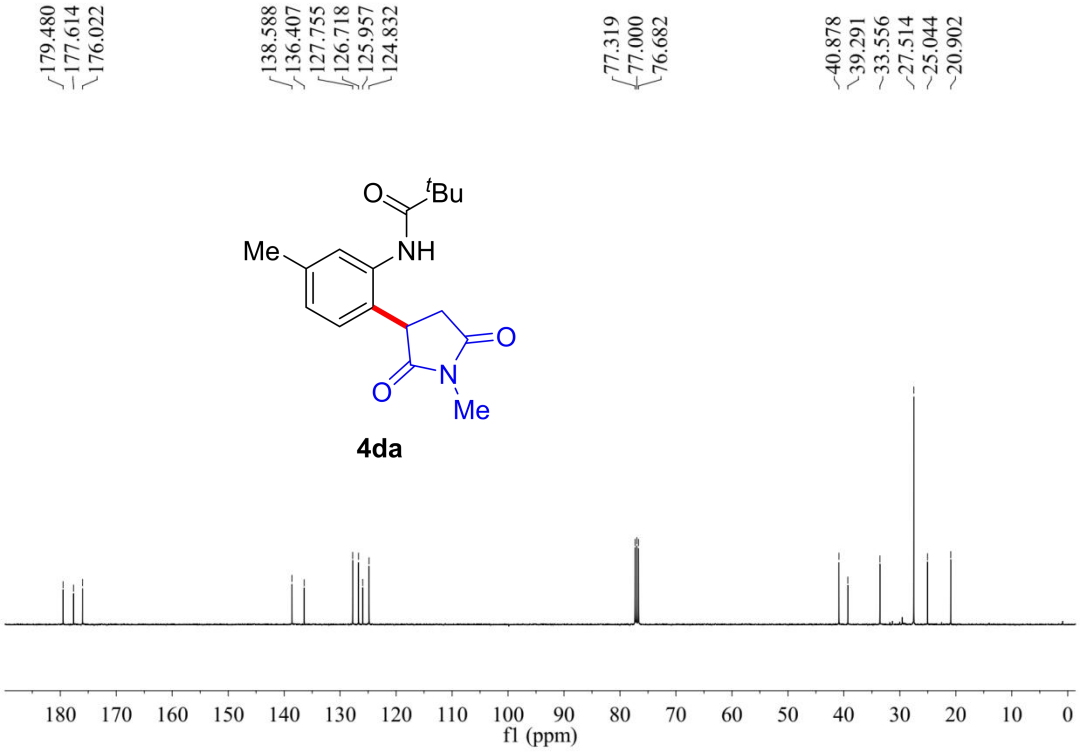
^{19}F NMR (376 MHz, $CDCl_3$) Spectrum of **4ca**



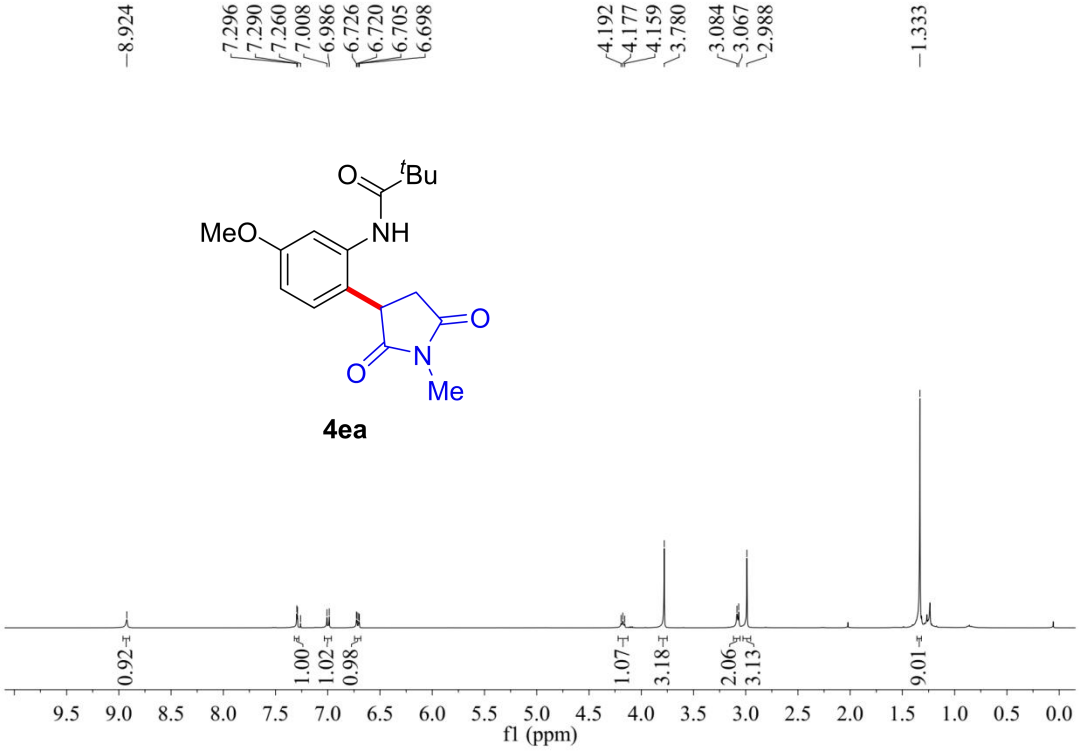
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4da**



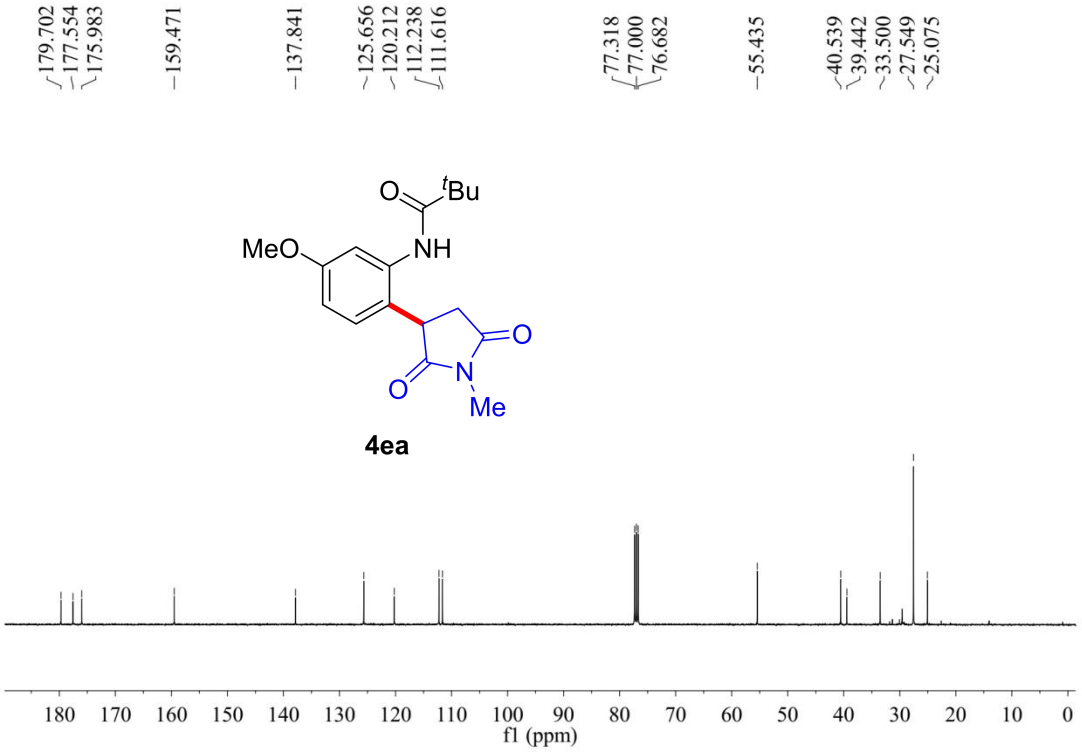
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4da**



^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ea**

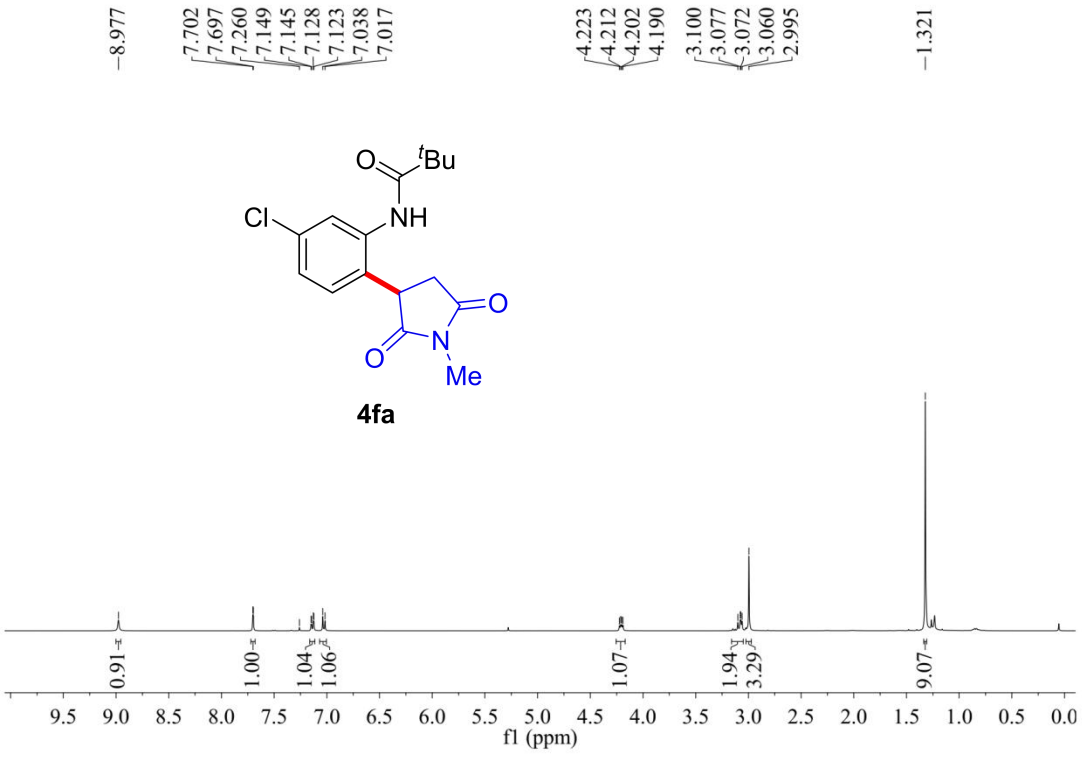


$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ea**



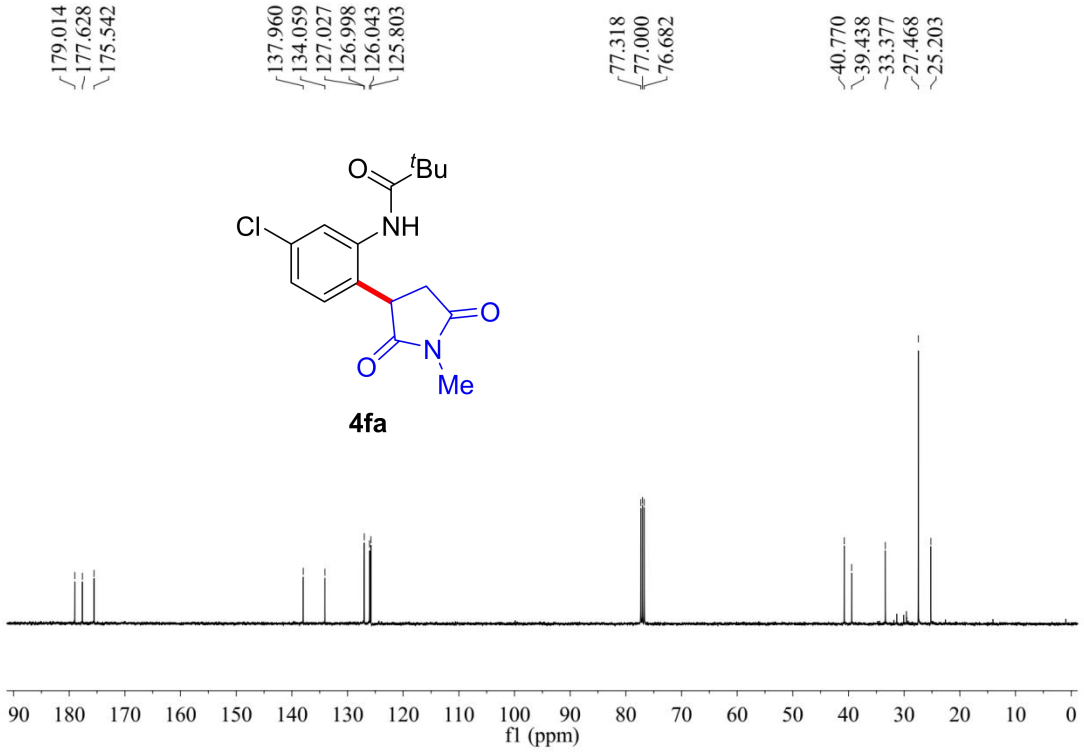
4ea

^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4fa**

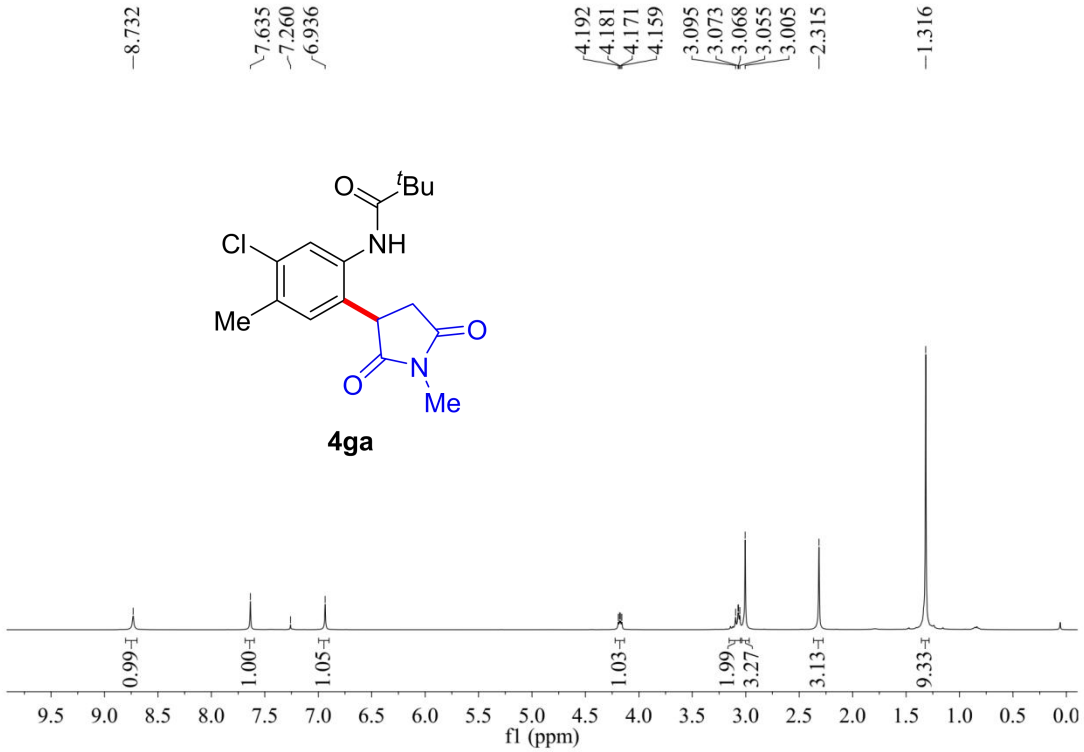


4fa

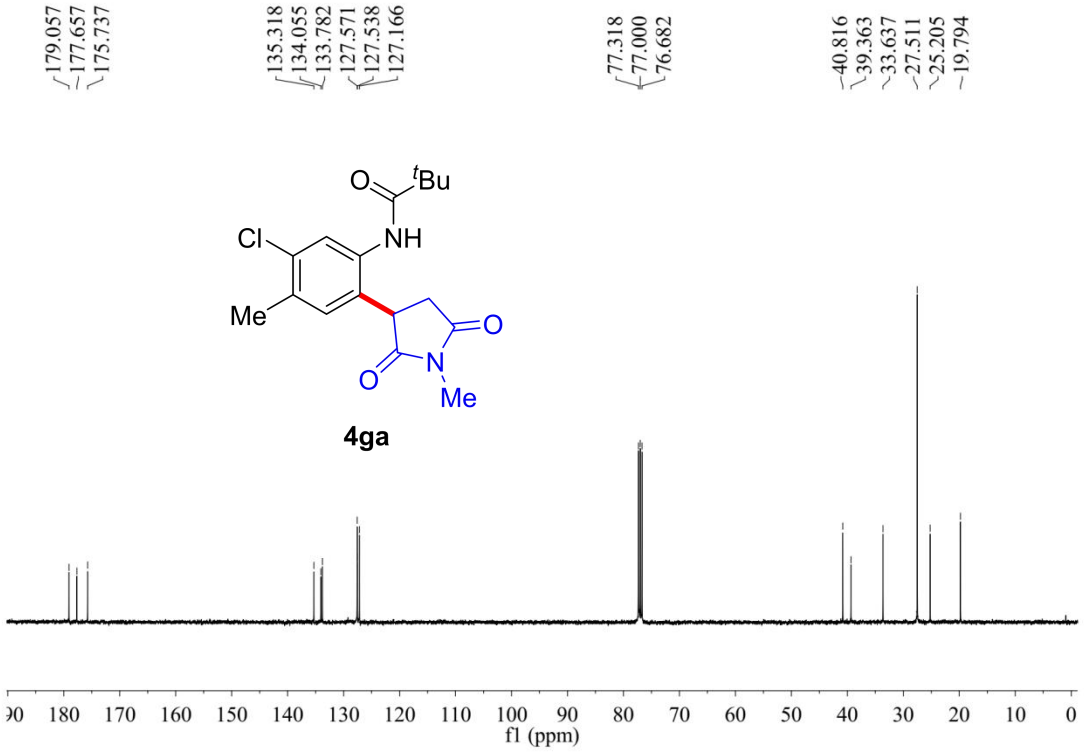
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4fa**



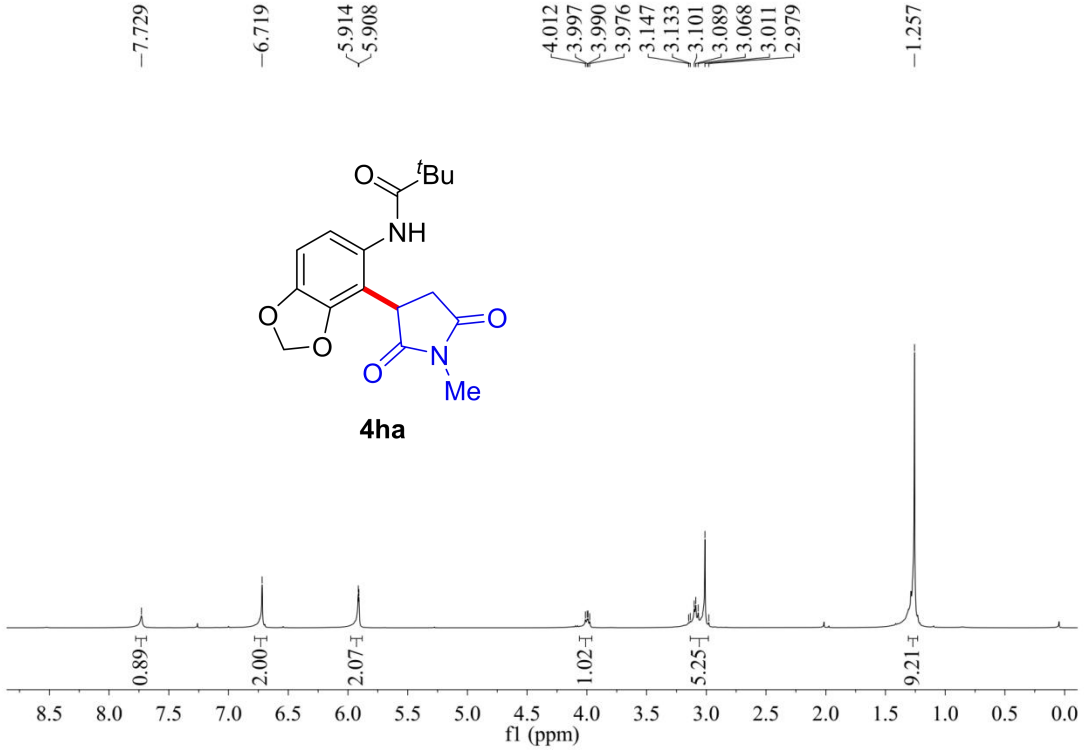
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ga**



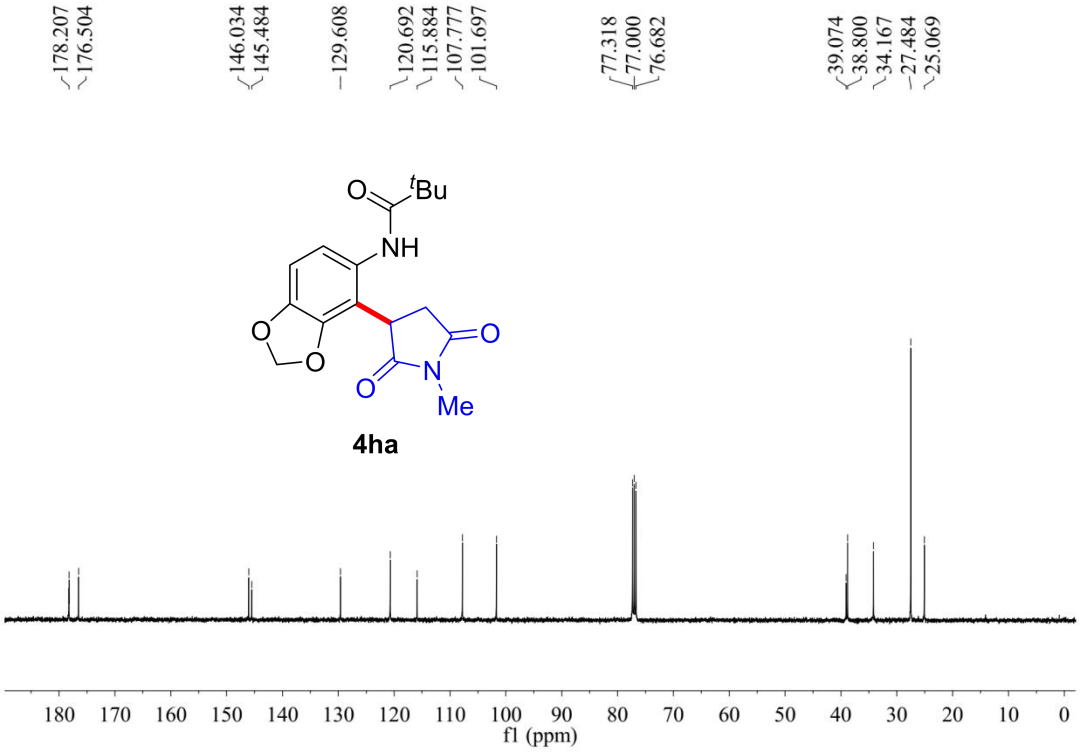
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ga**



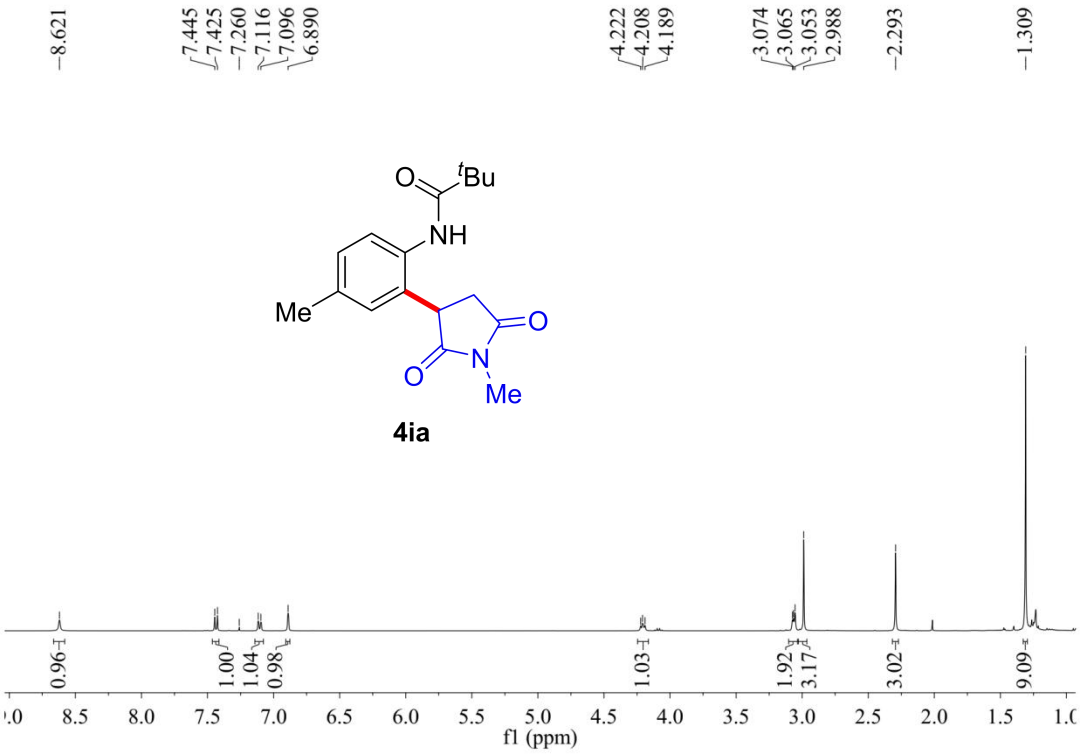
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ha**



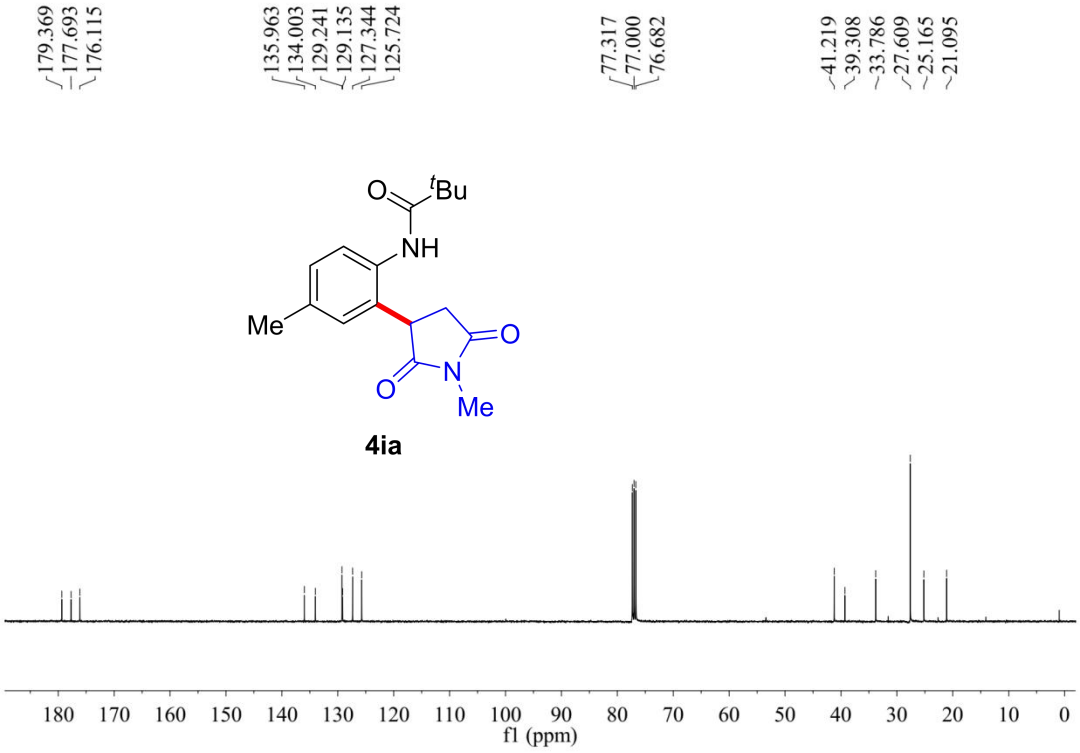
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ha**



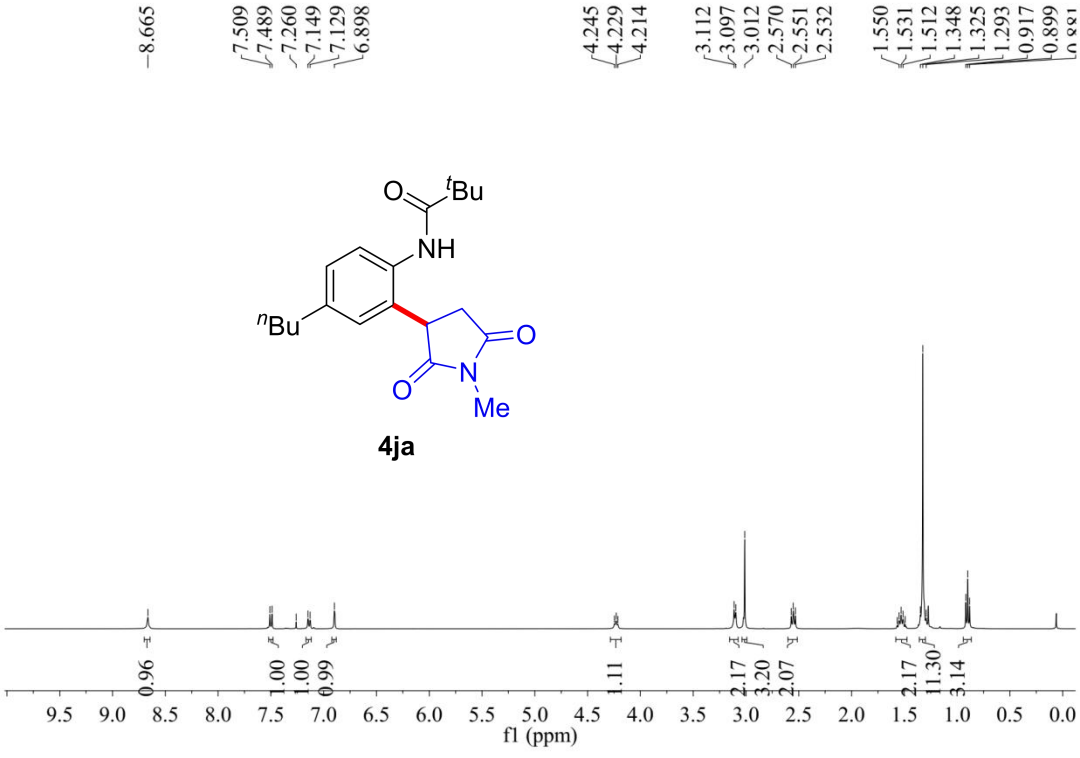
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ia**



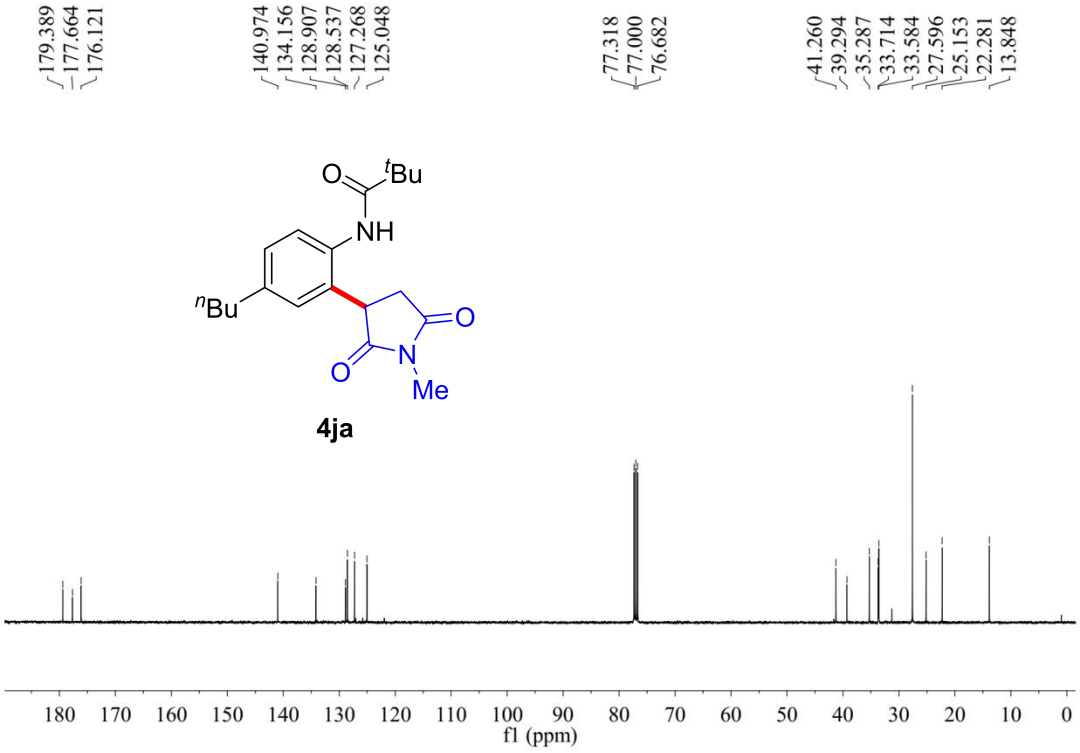
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ia**



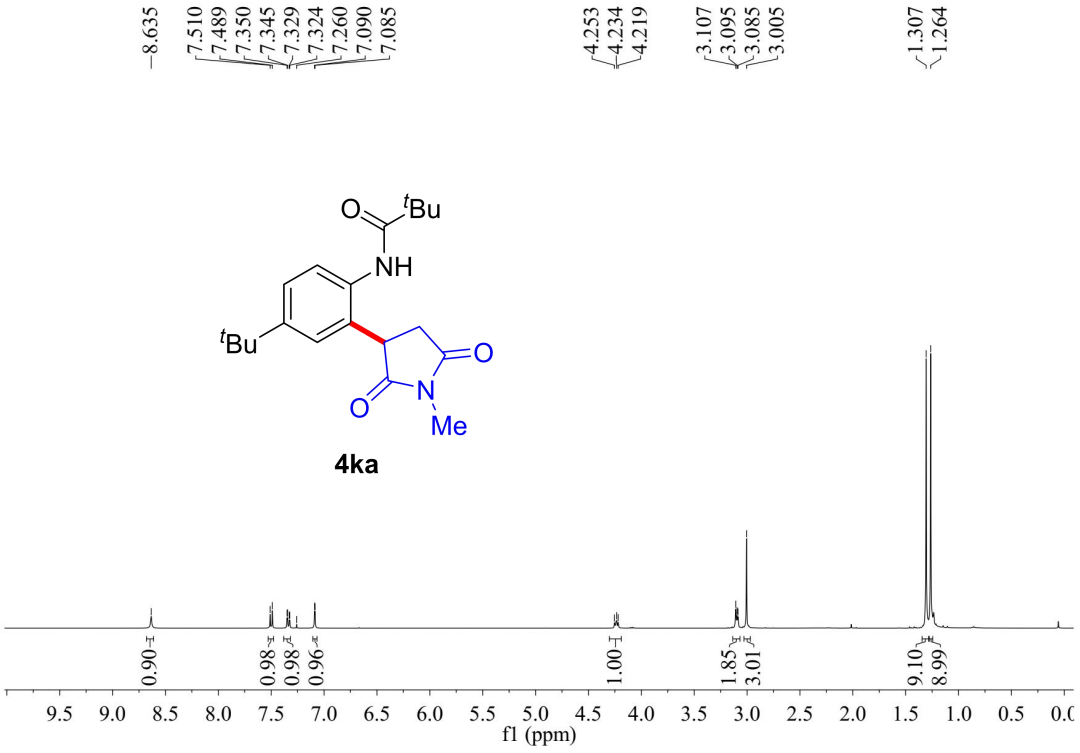
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ja**



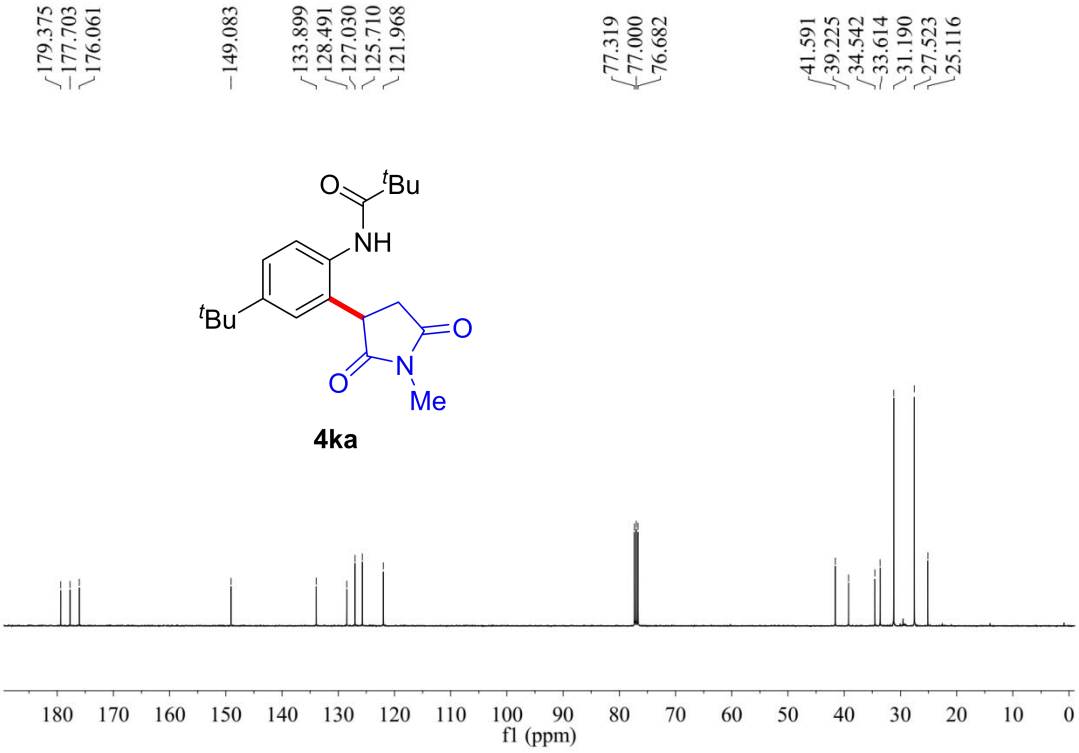
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ja**



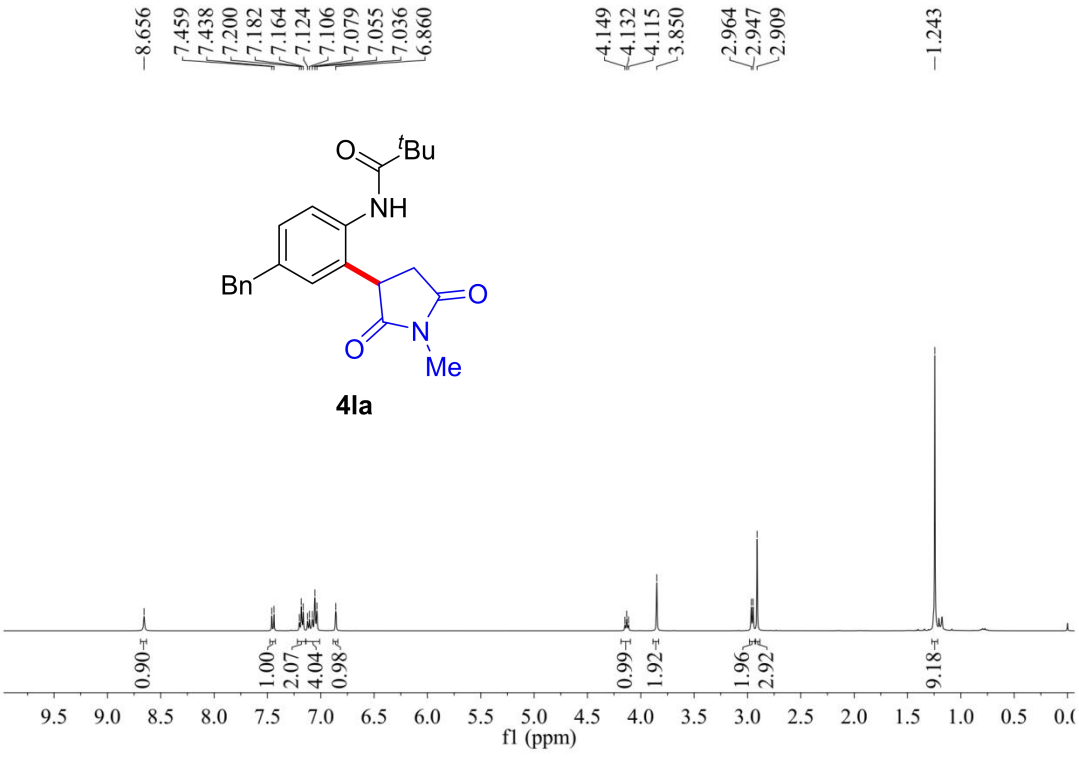
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ka**



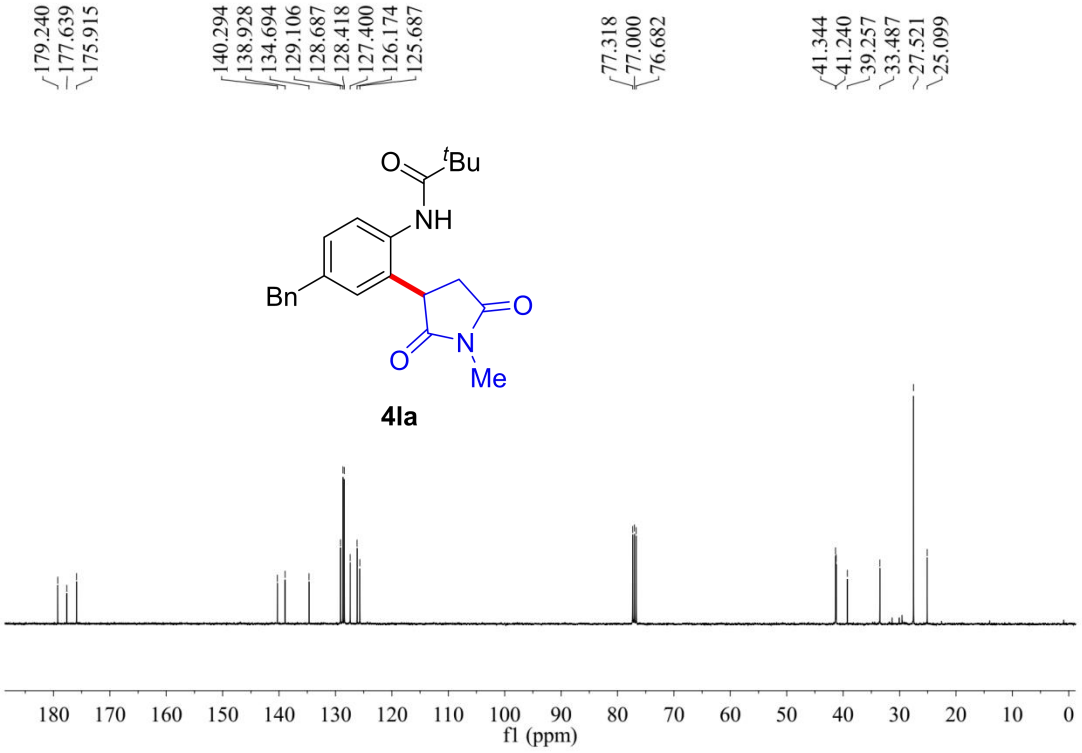
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ka**



^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4la**

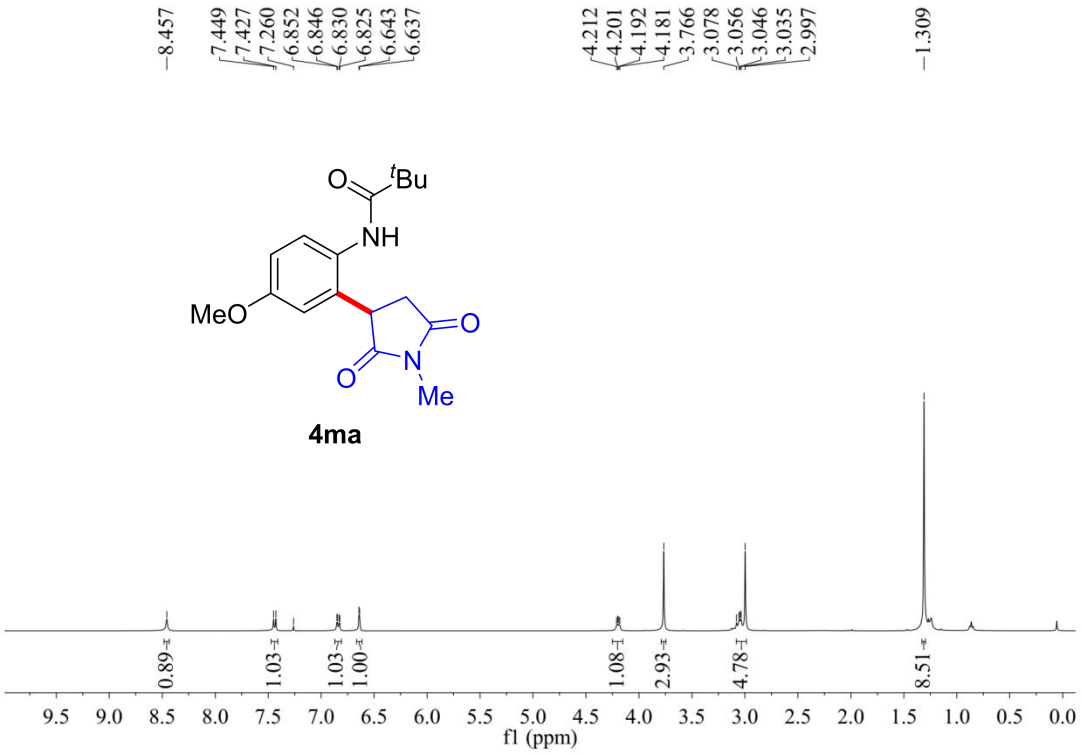


$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4la**



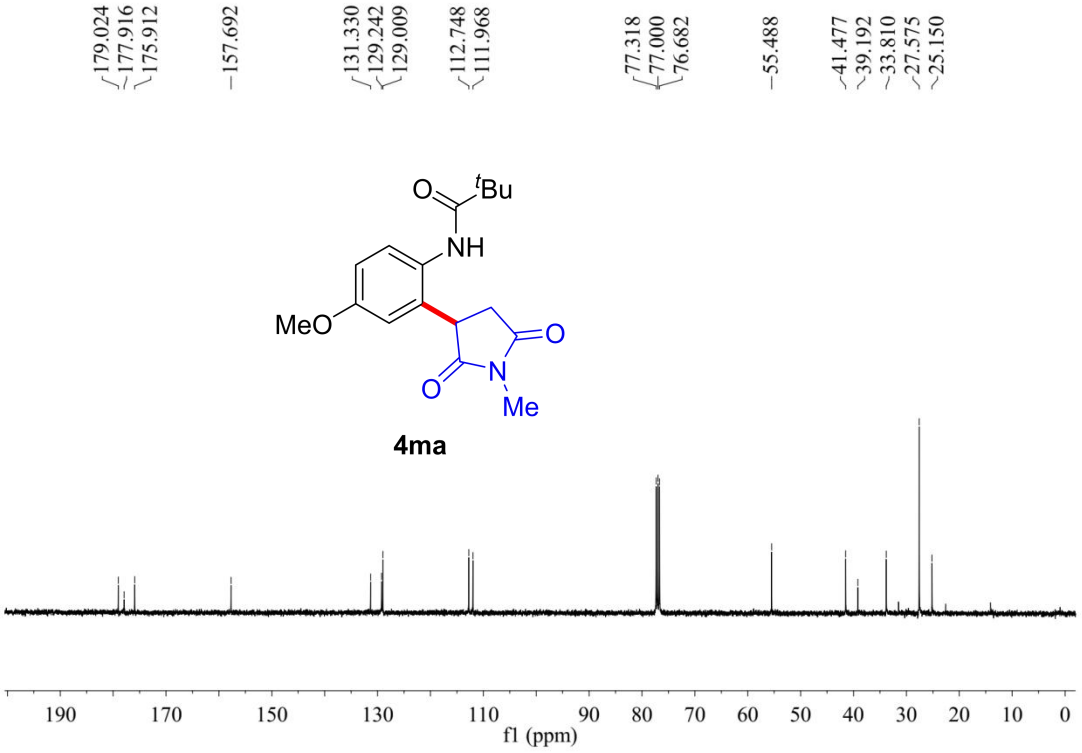
4la

^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ma**

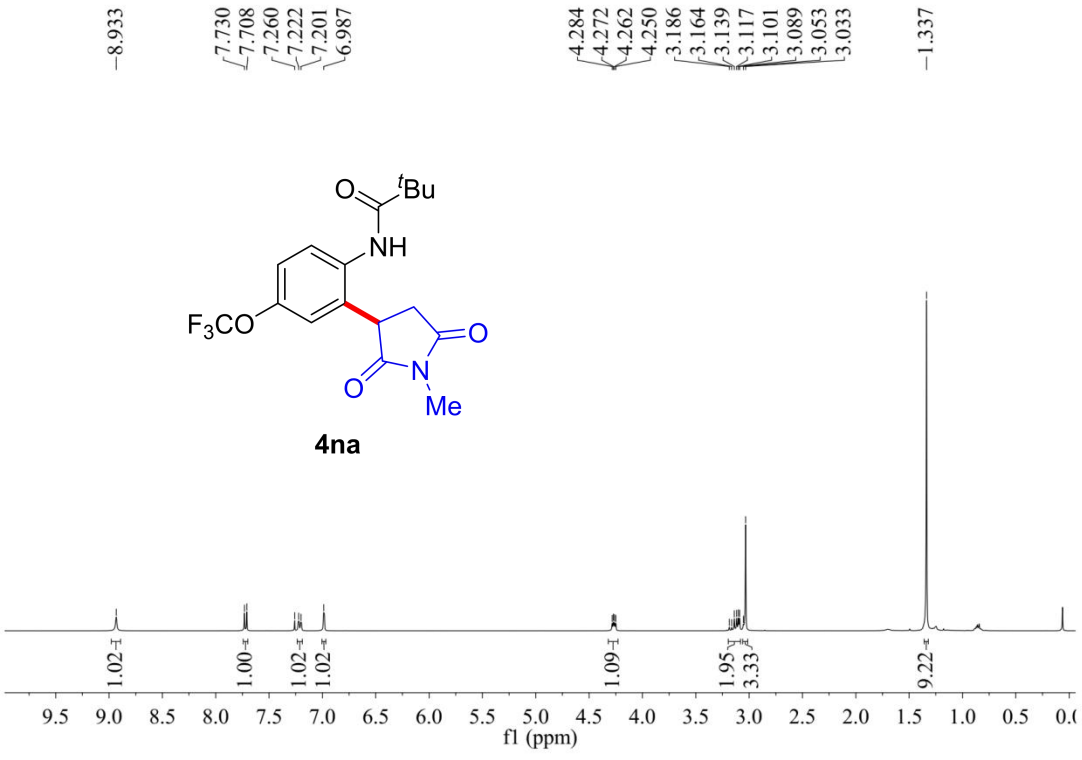


4ma

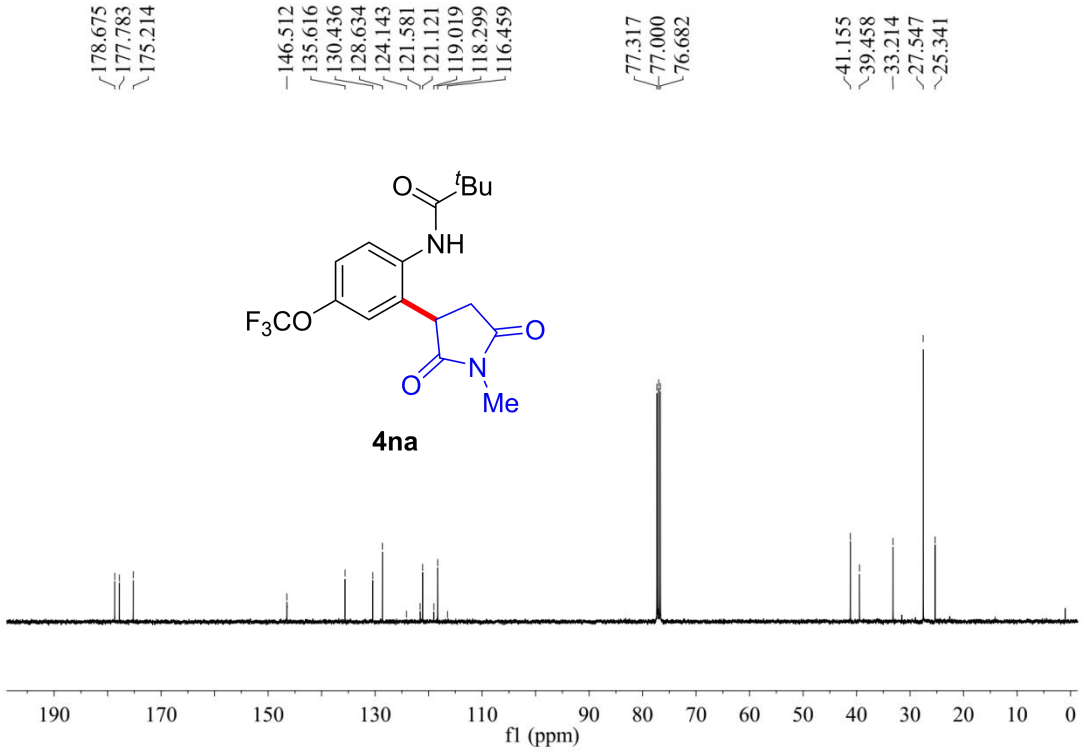
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ma**



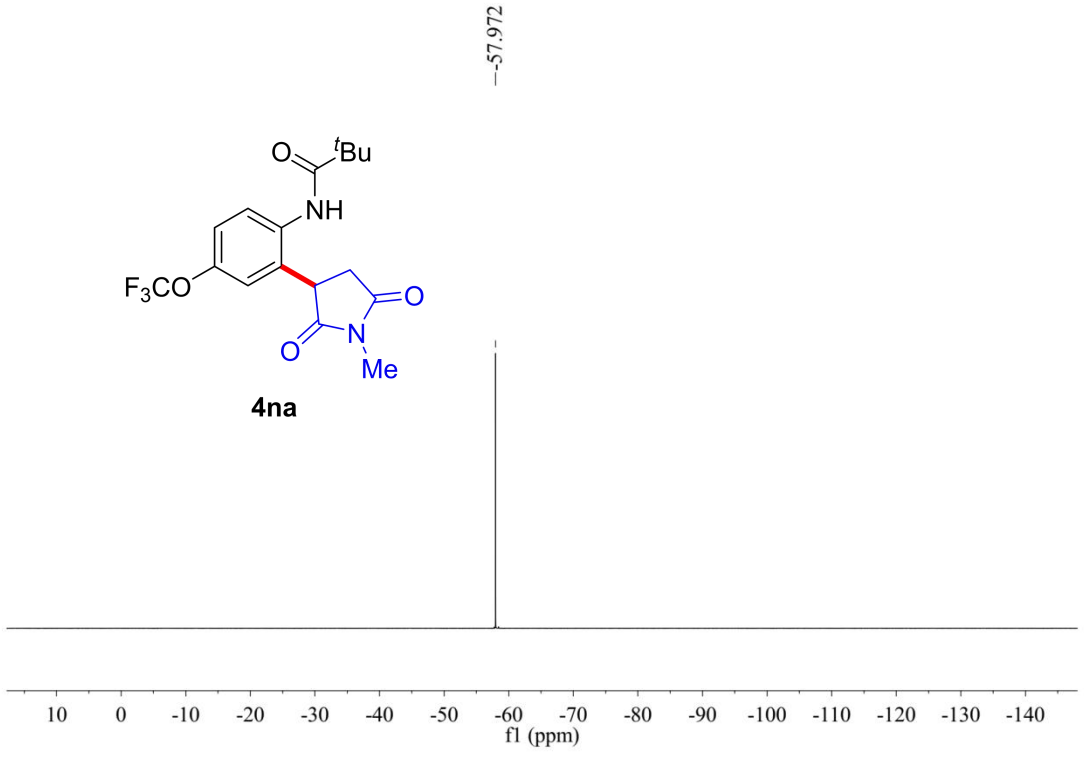
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4na**



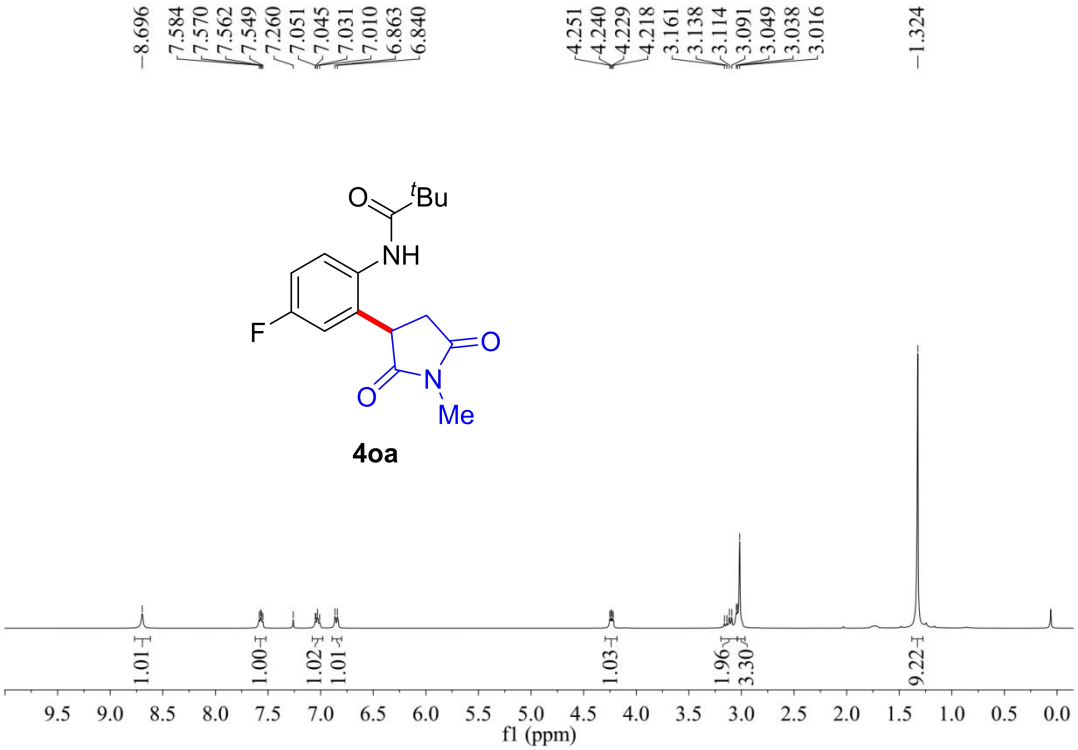
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4na**



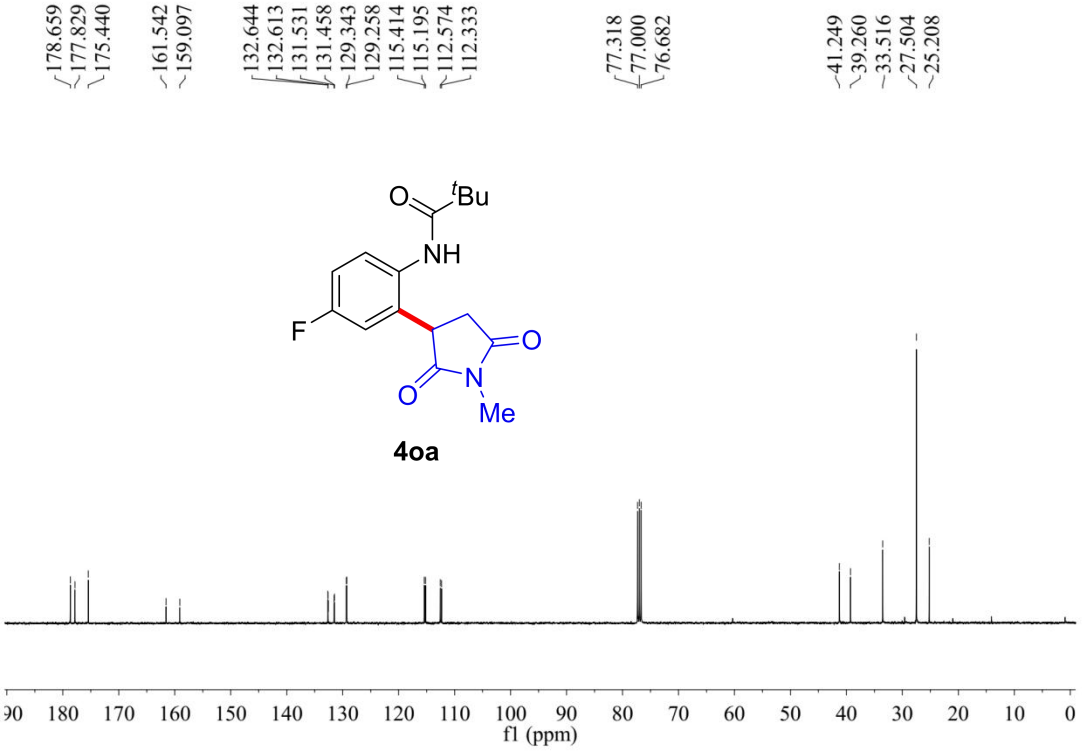
^{19}F NMR (376 MHz, $CDCl_3$) Spectrum of **4na**



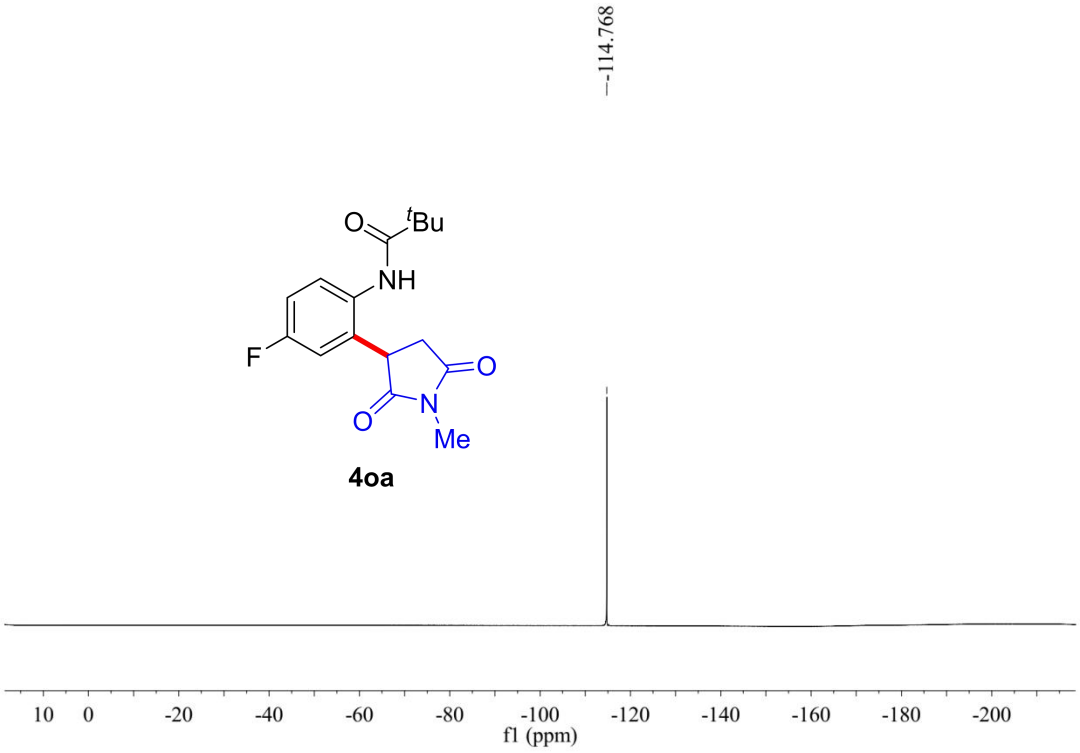
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4oa**



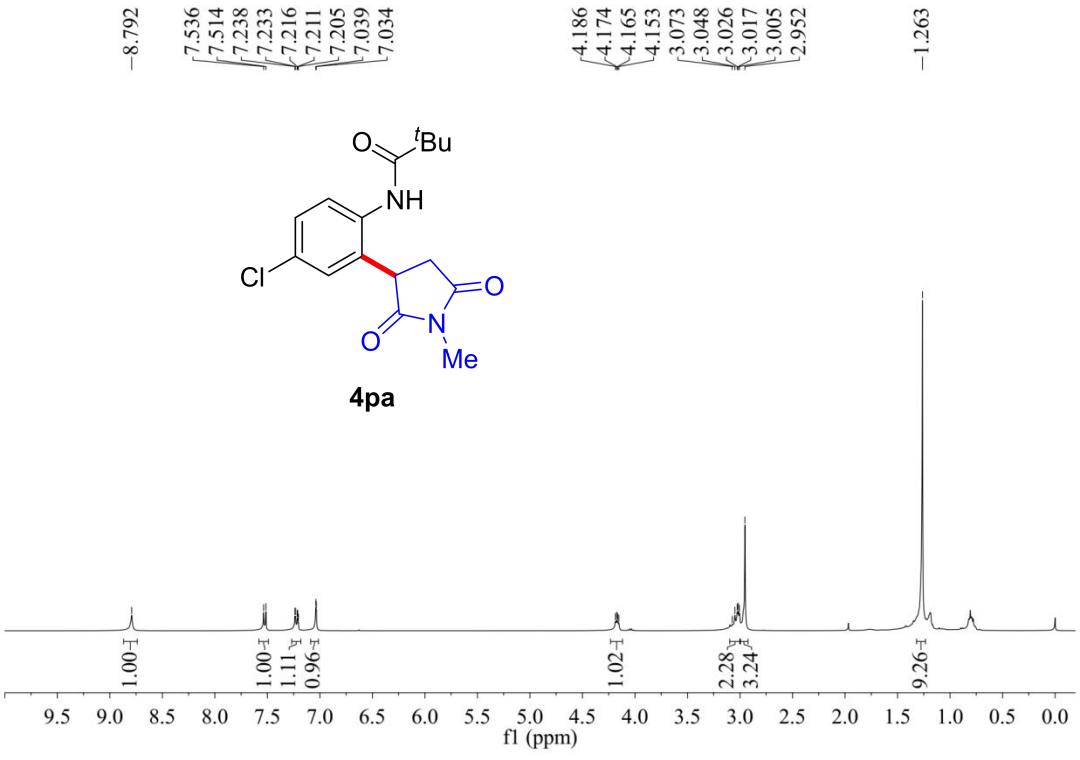
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4oa**



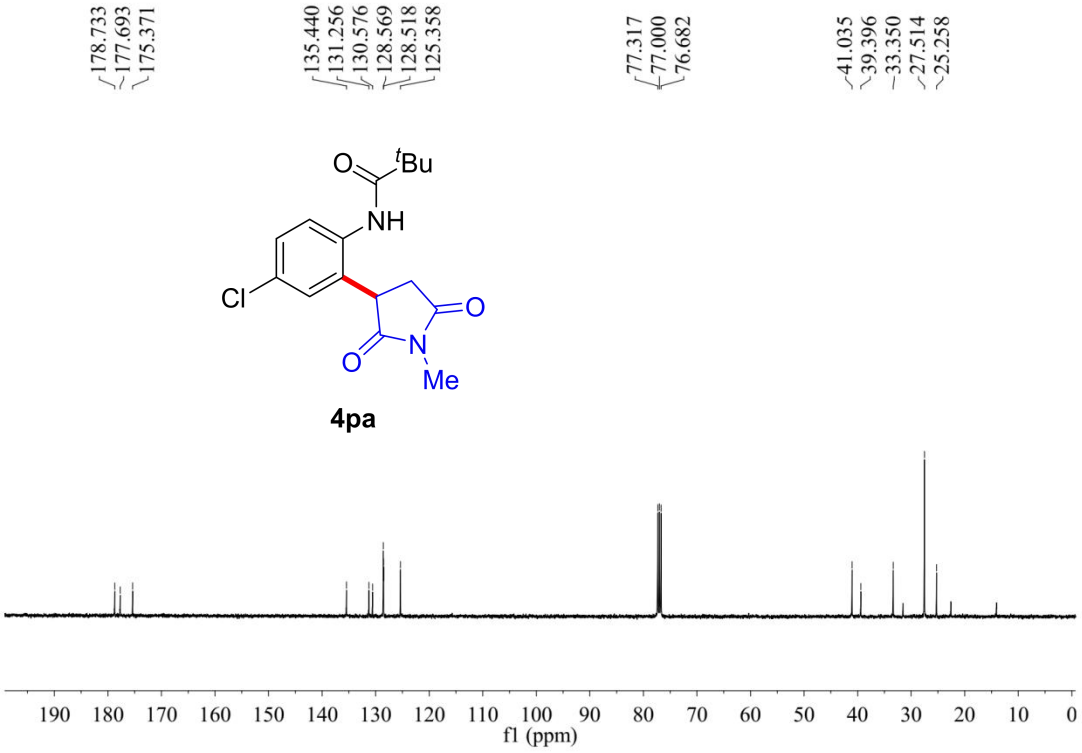
^{19}F NMR (376 MHz, $CDCl_3$) Spectrum of **4oa**



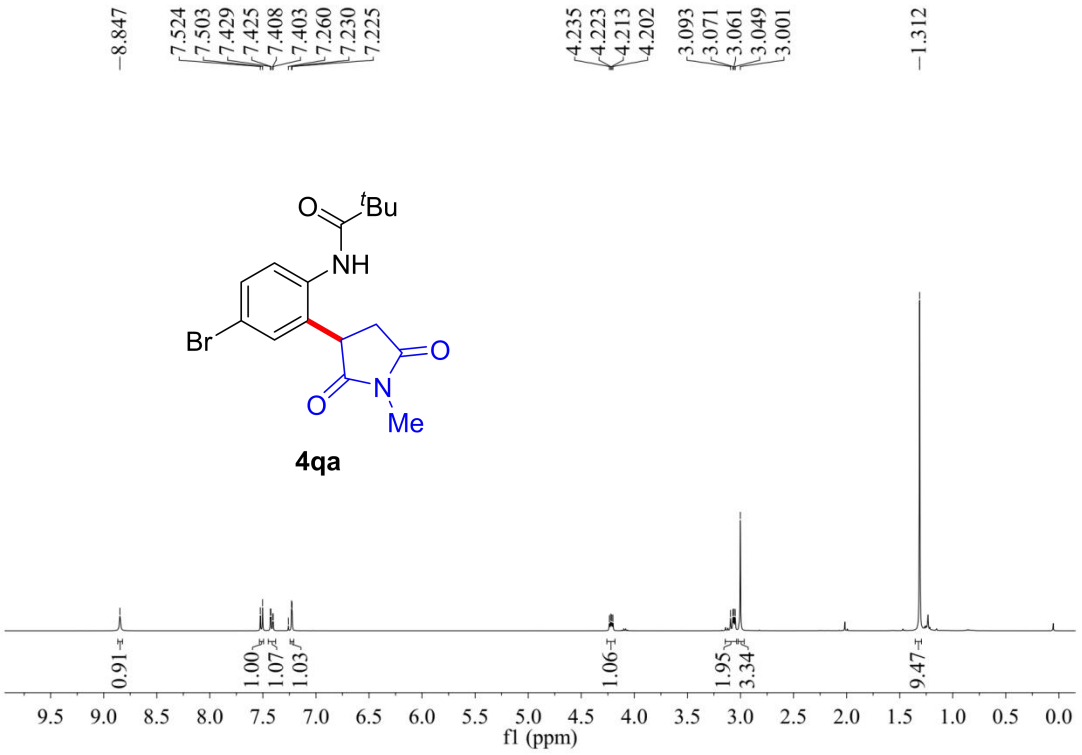
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4pa**



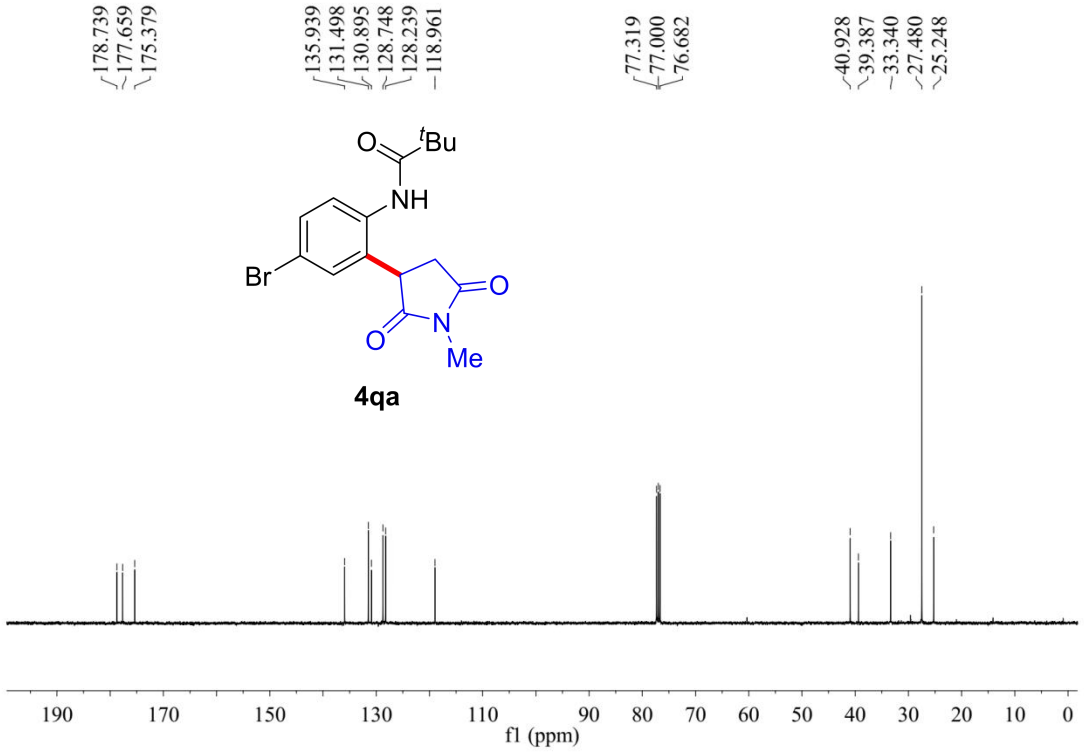
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4pa**



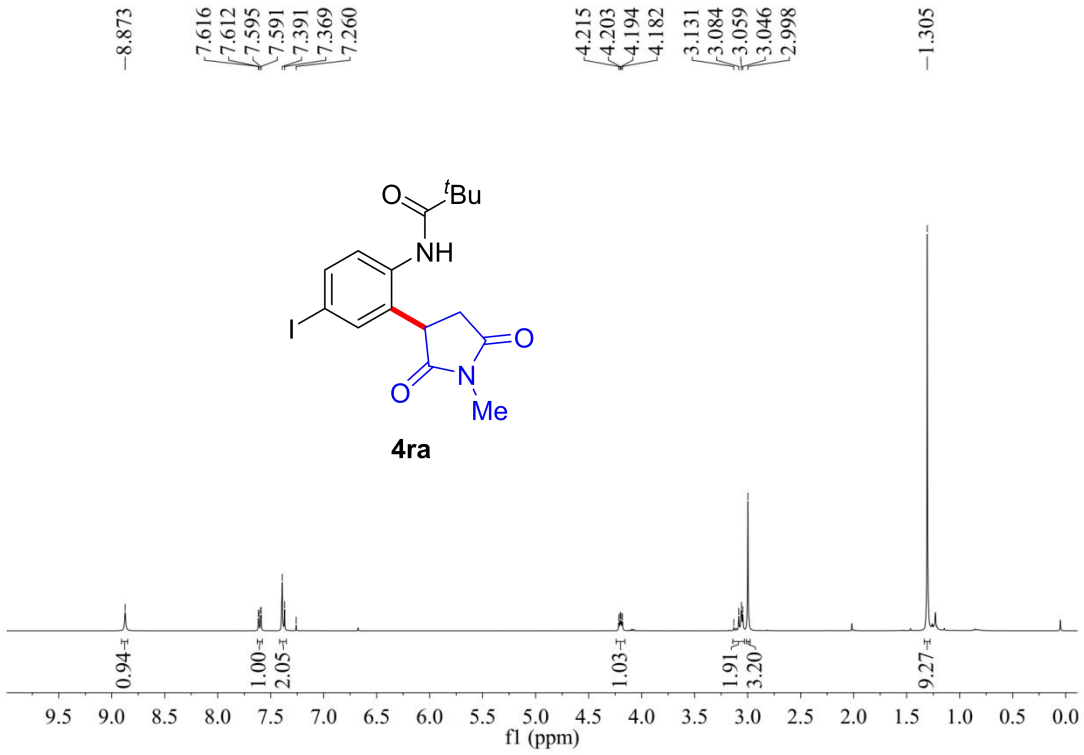
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4qa**



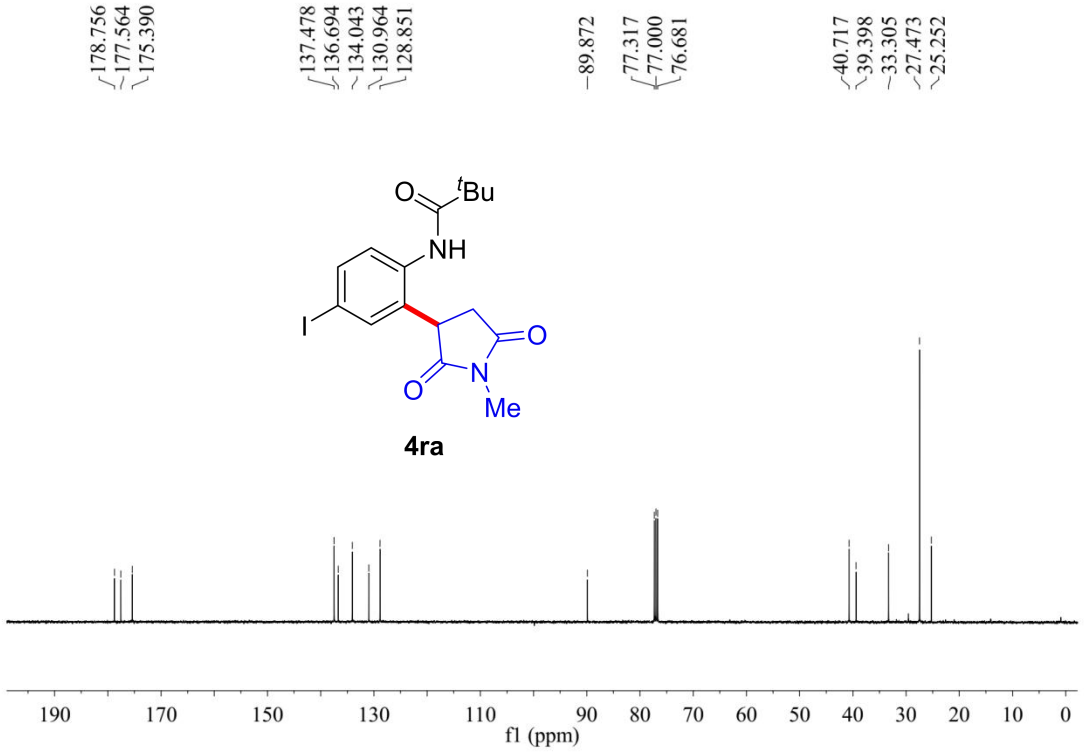
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4qa**



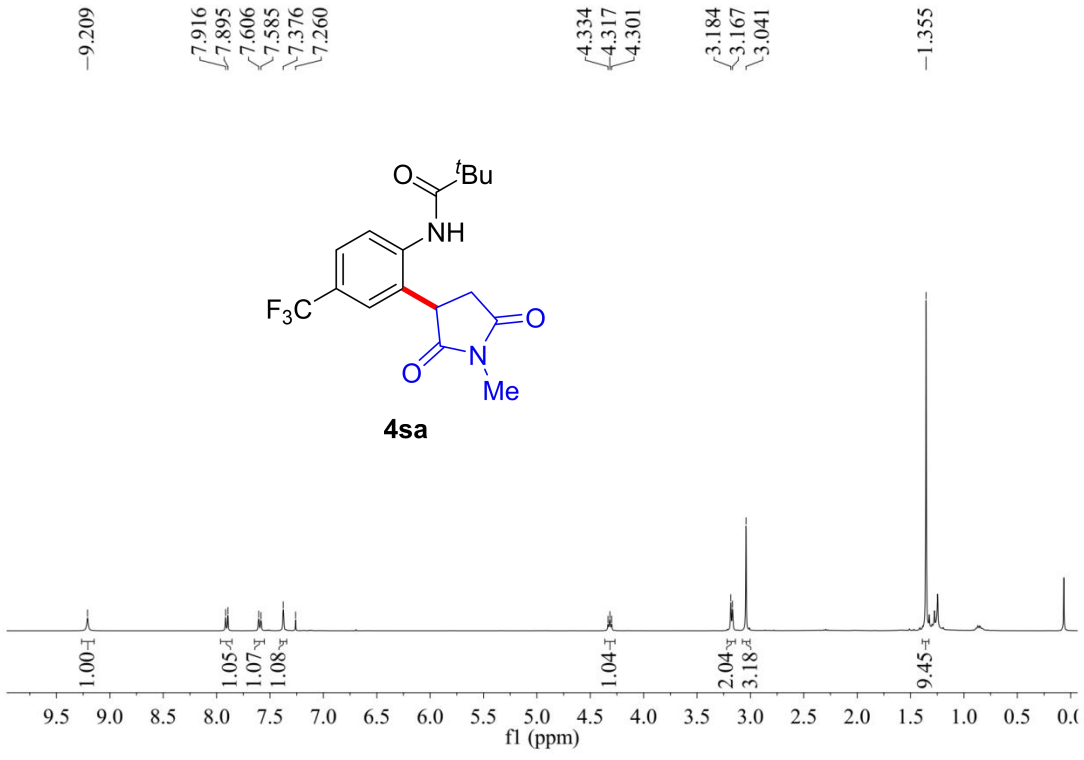
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ra**



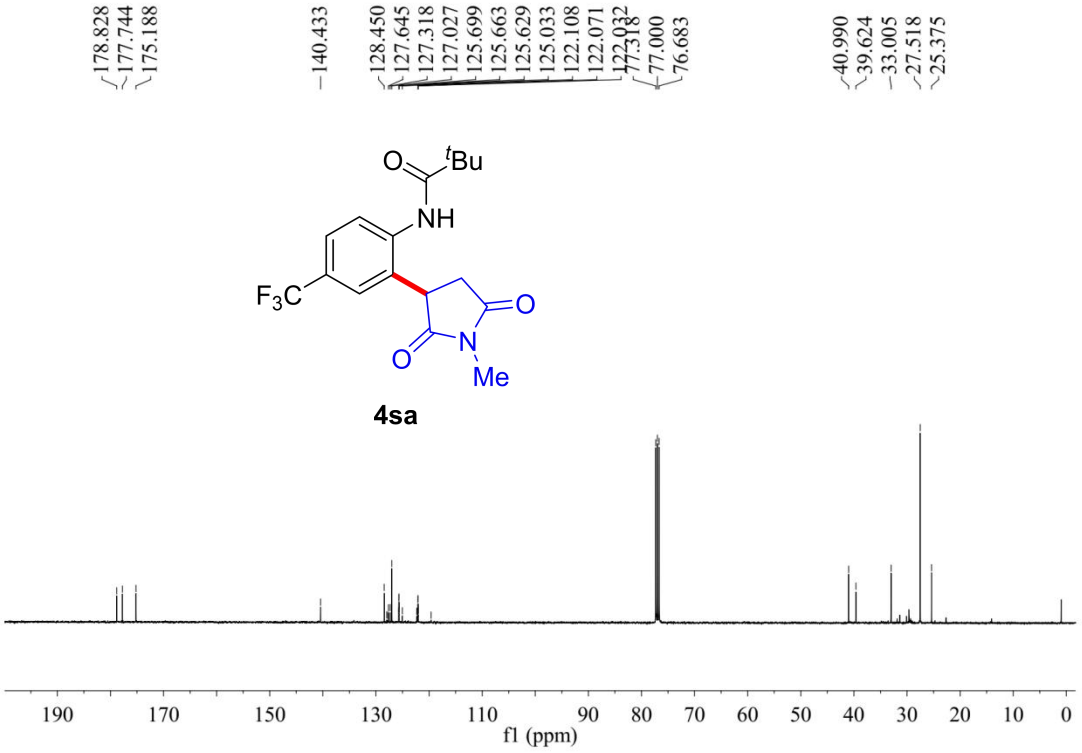
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ra**



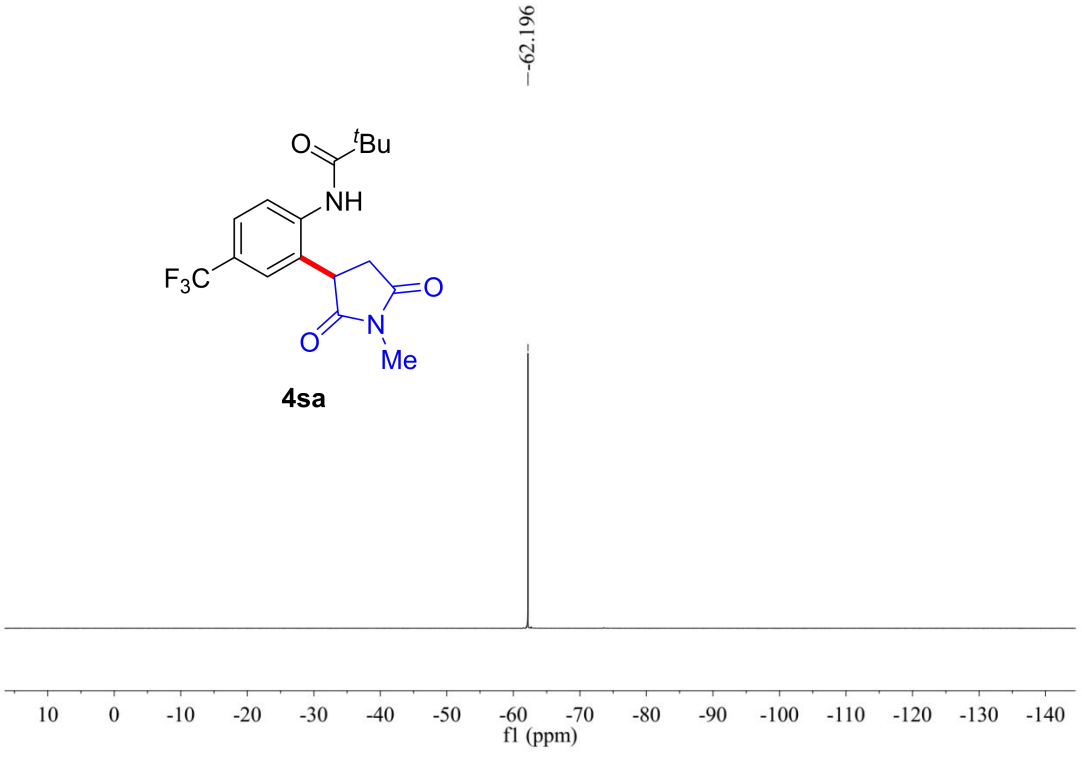
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4sa**



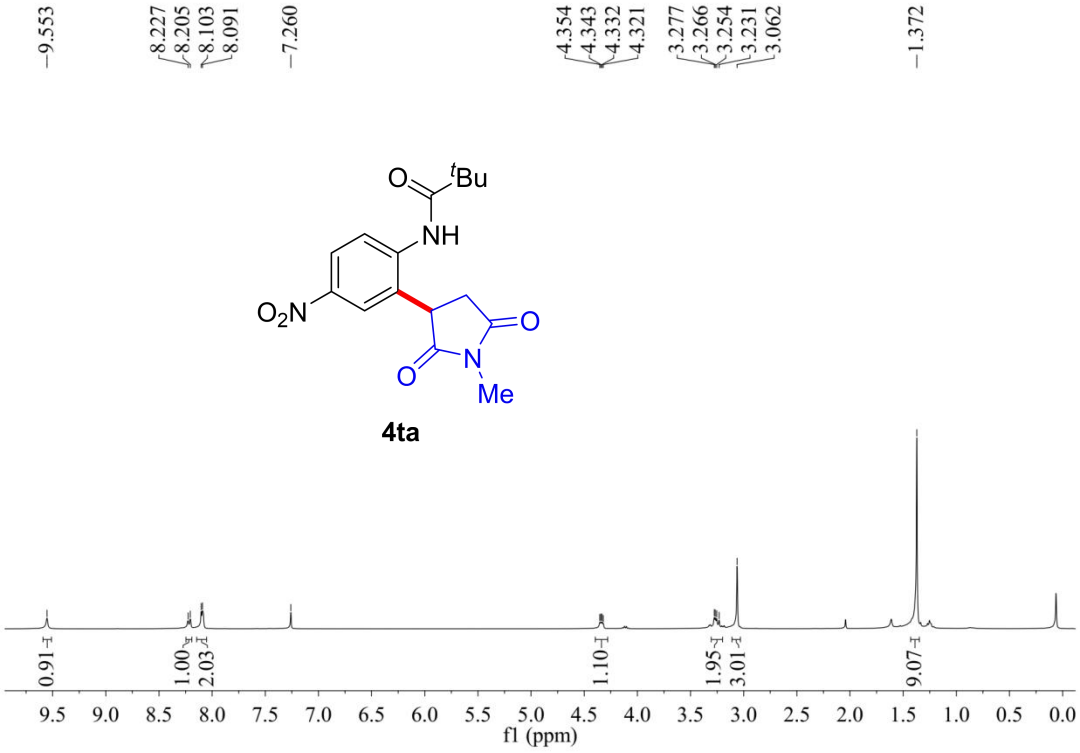
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4sa**



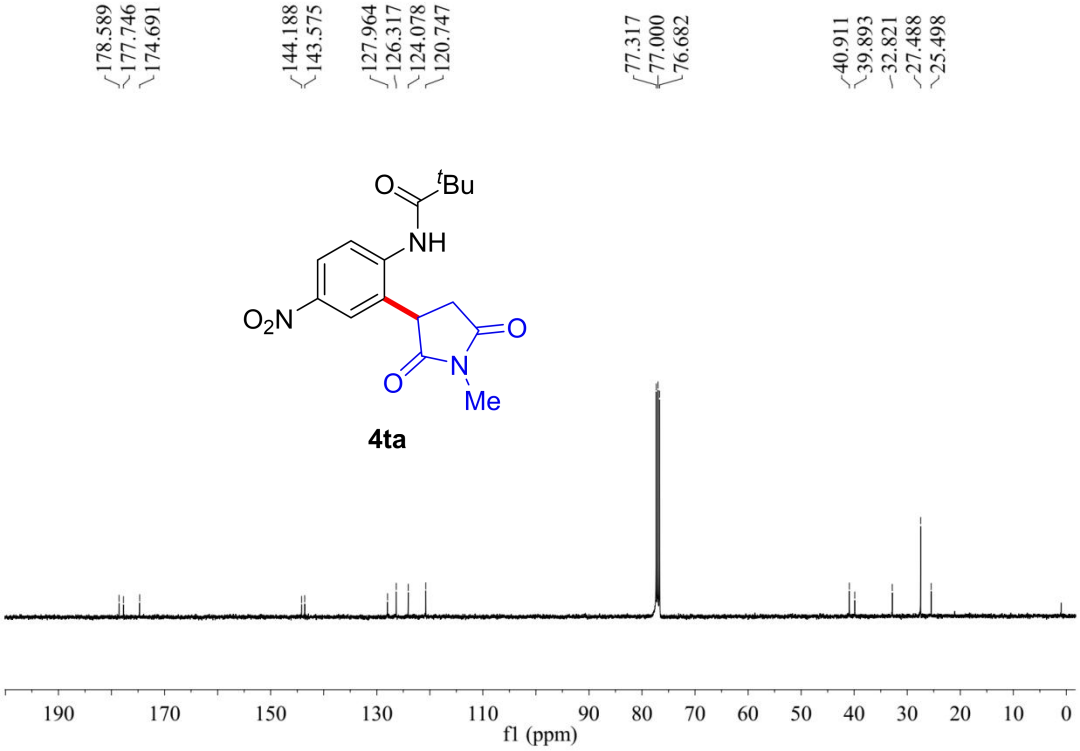
^{19}F NMR (376 MHz, $CDCl_3$)Spectrum of **4sa**



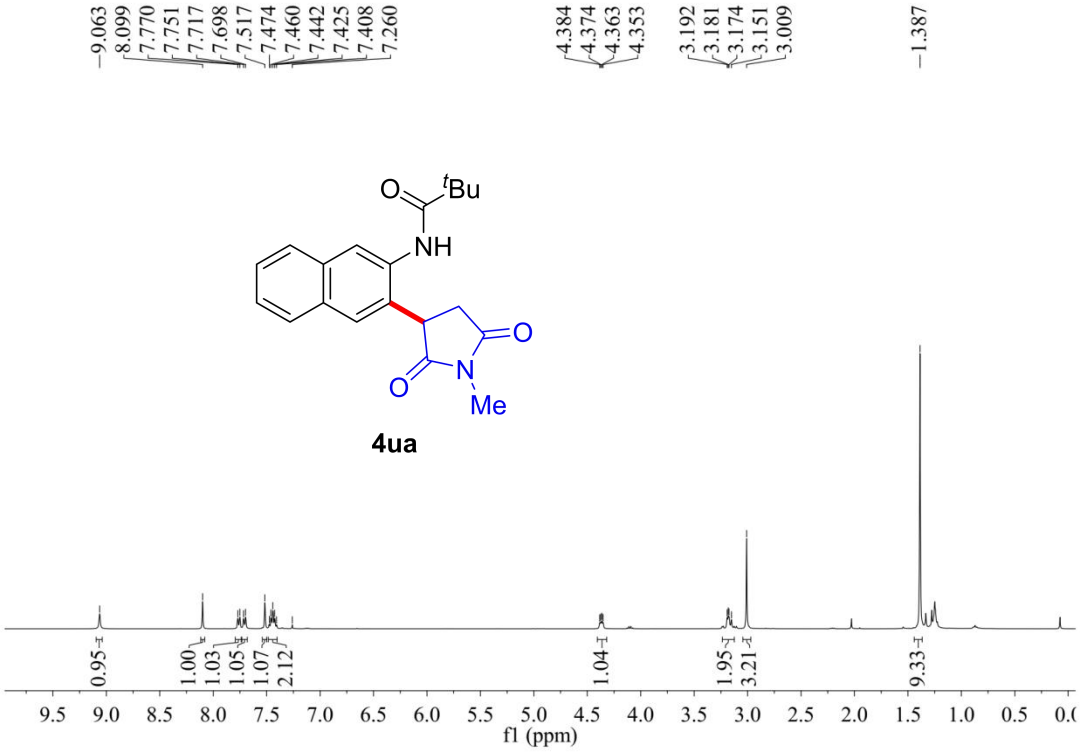
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ta**



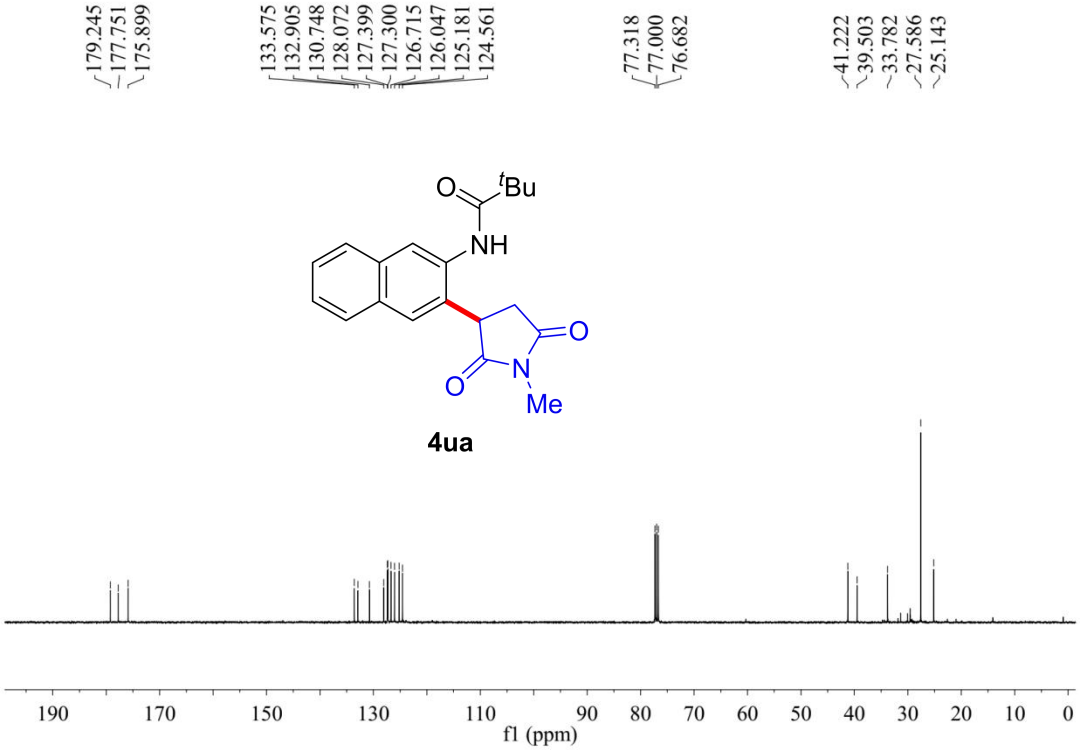
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ta**



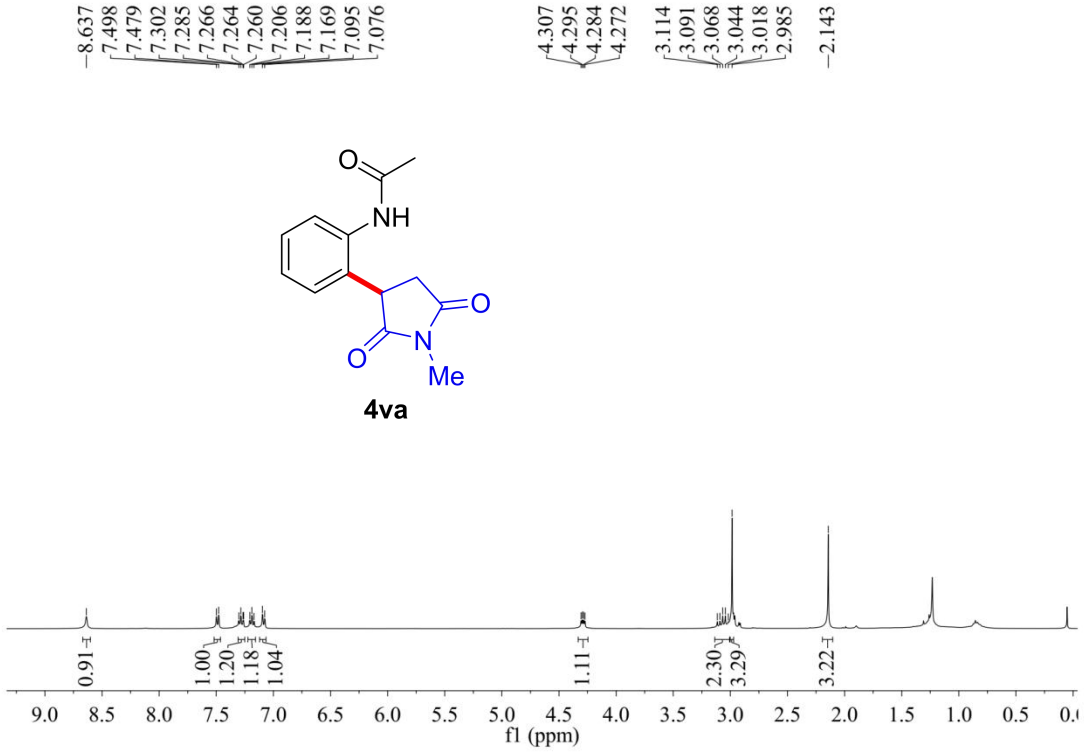
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ua**



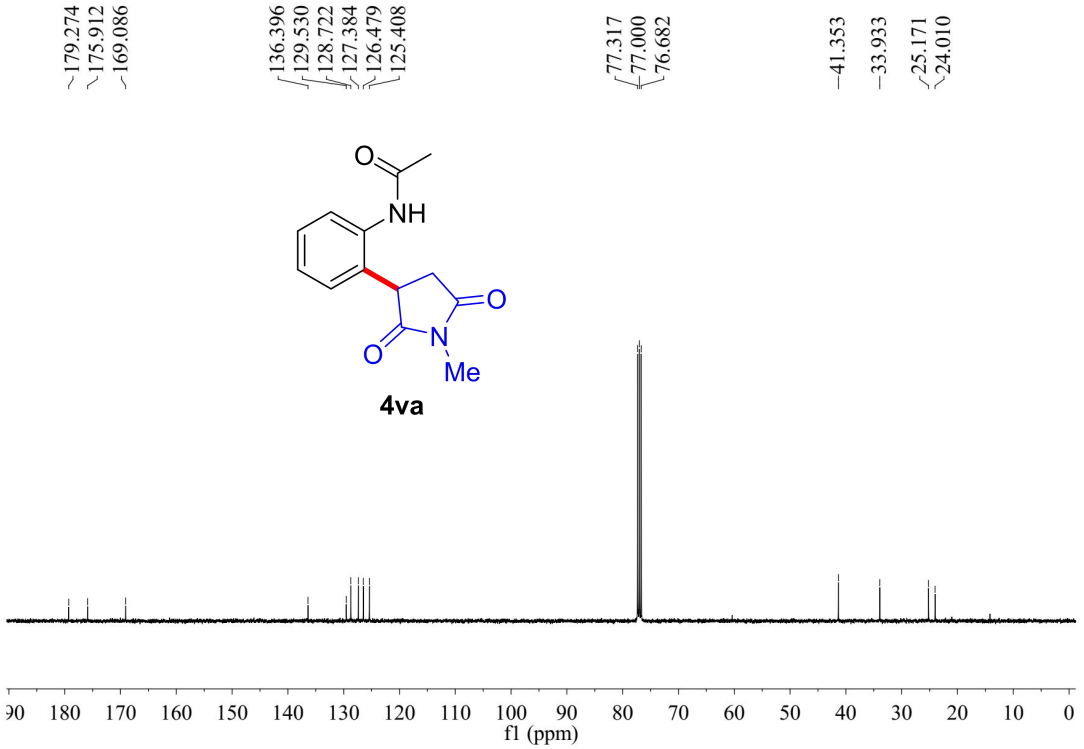
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ua**



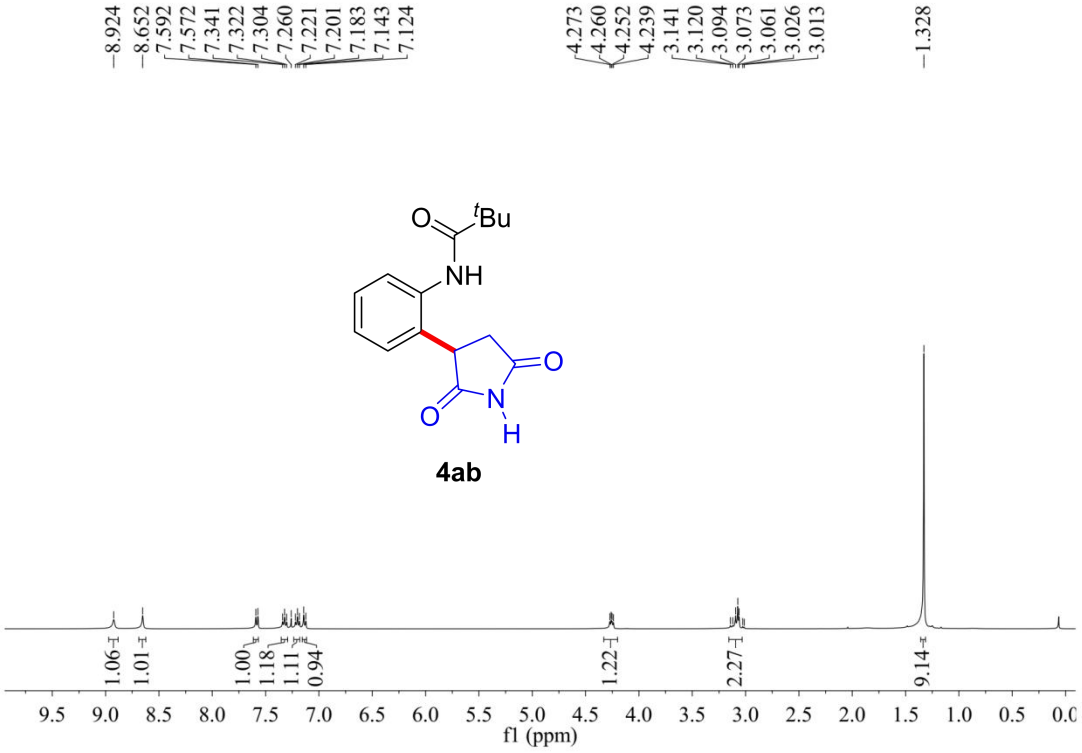
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4va**



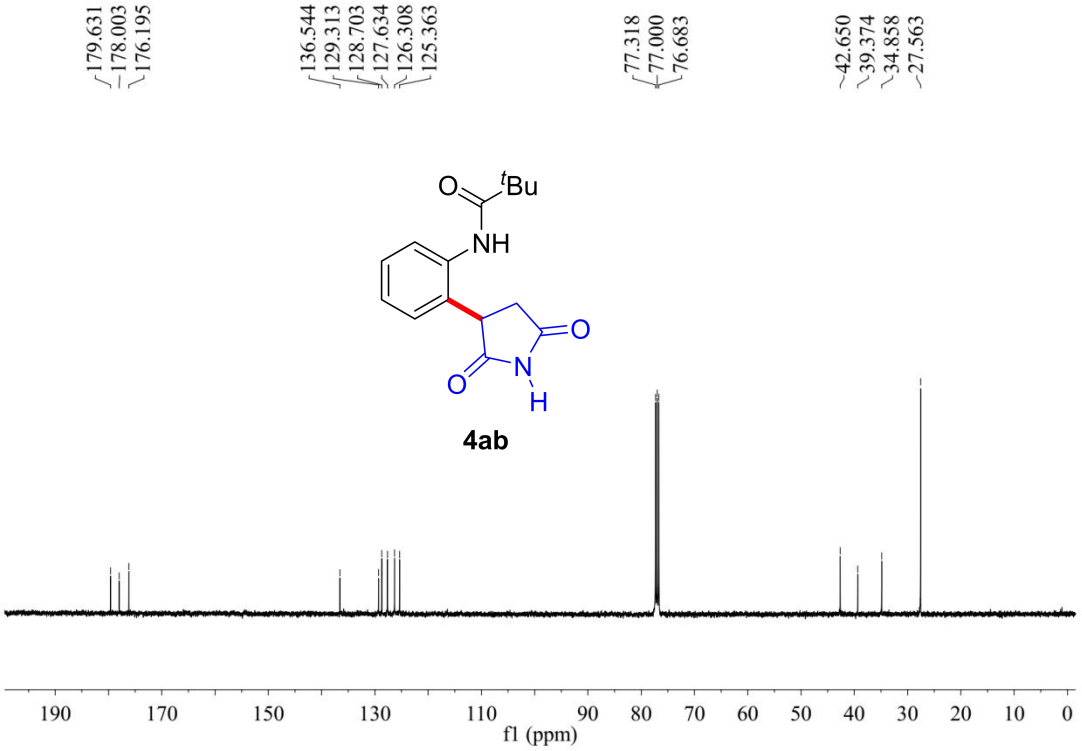
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4va**



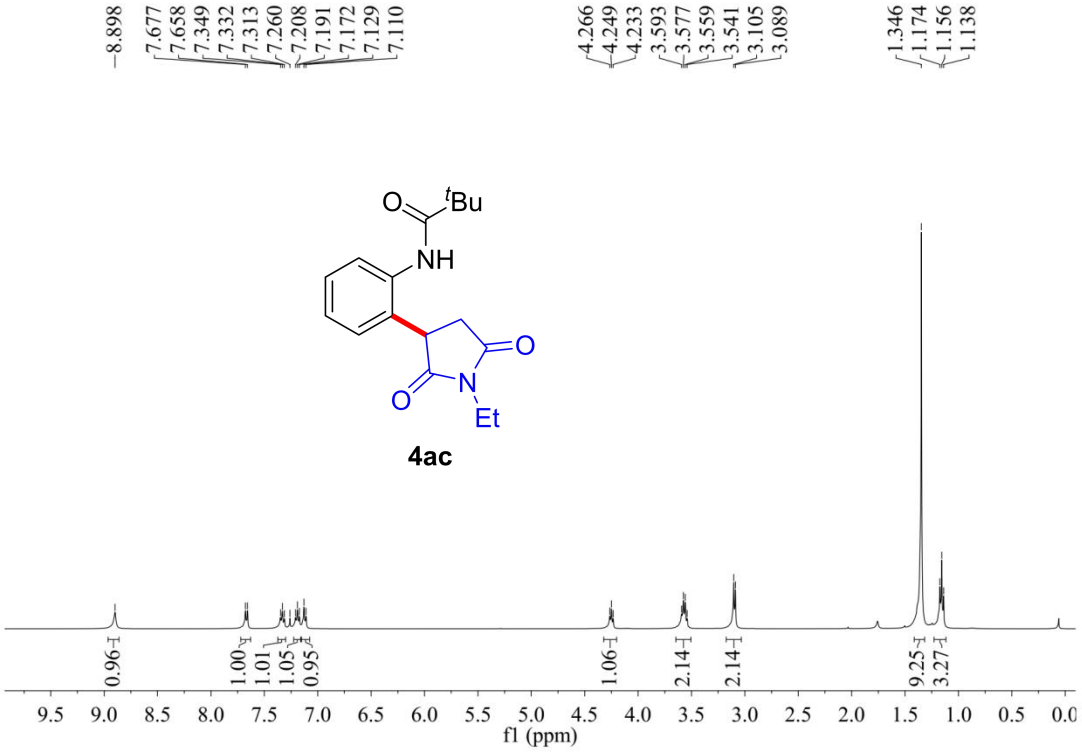
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ab**



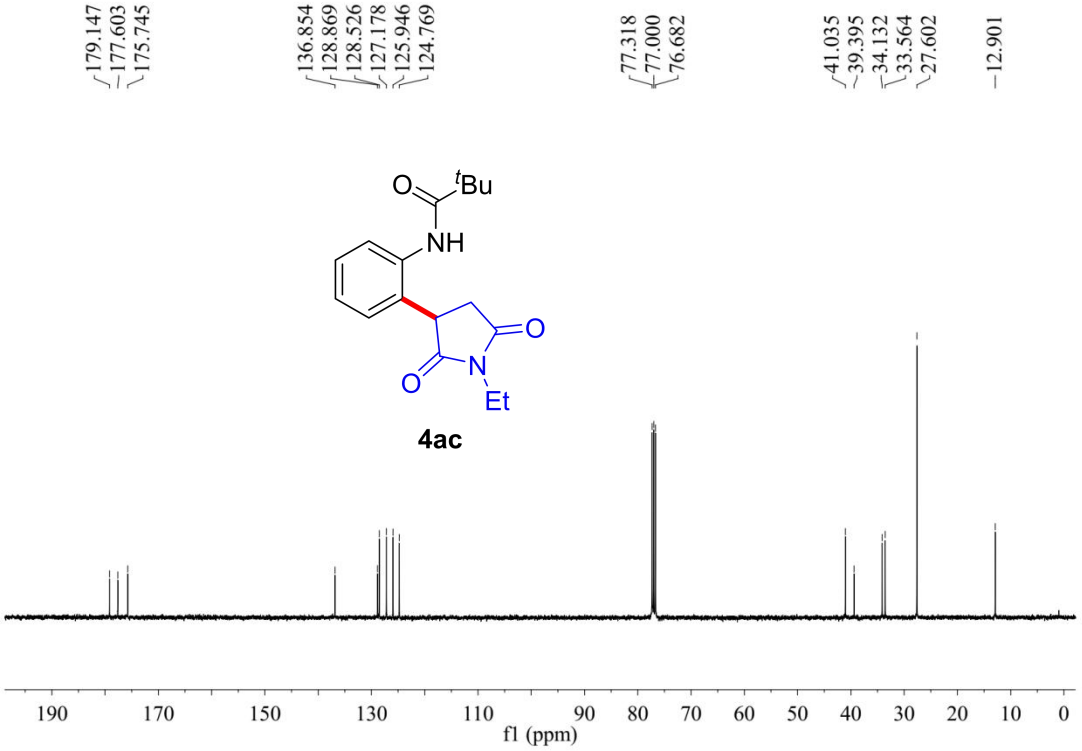
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ab**



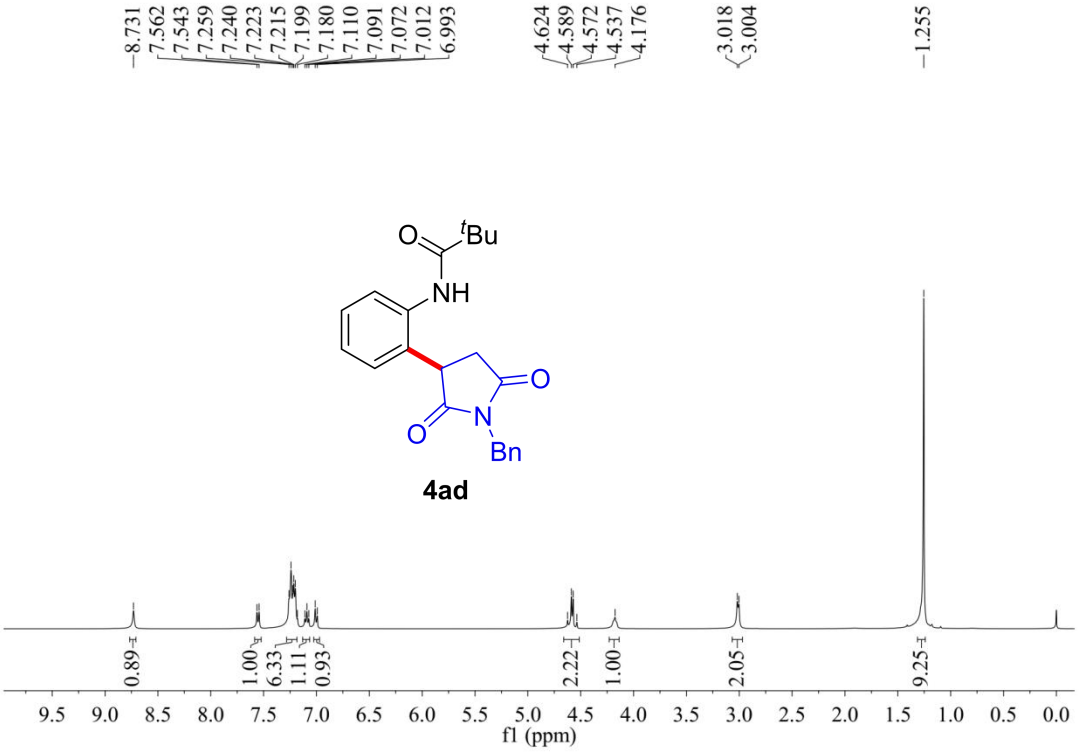
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ac**



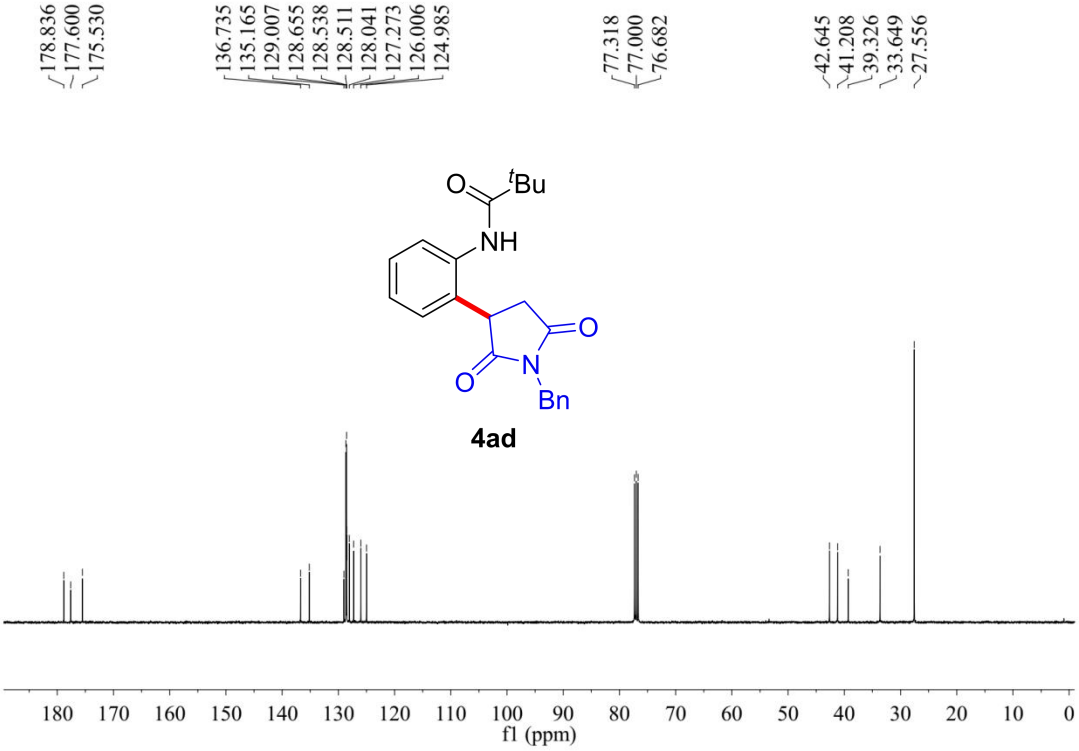
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ac**



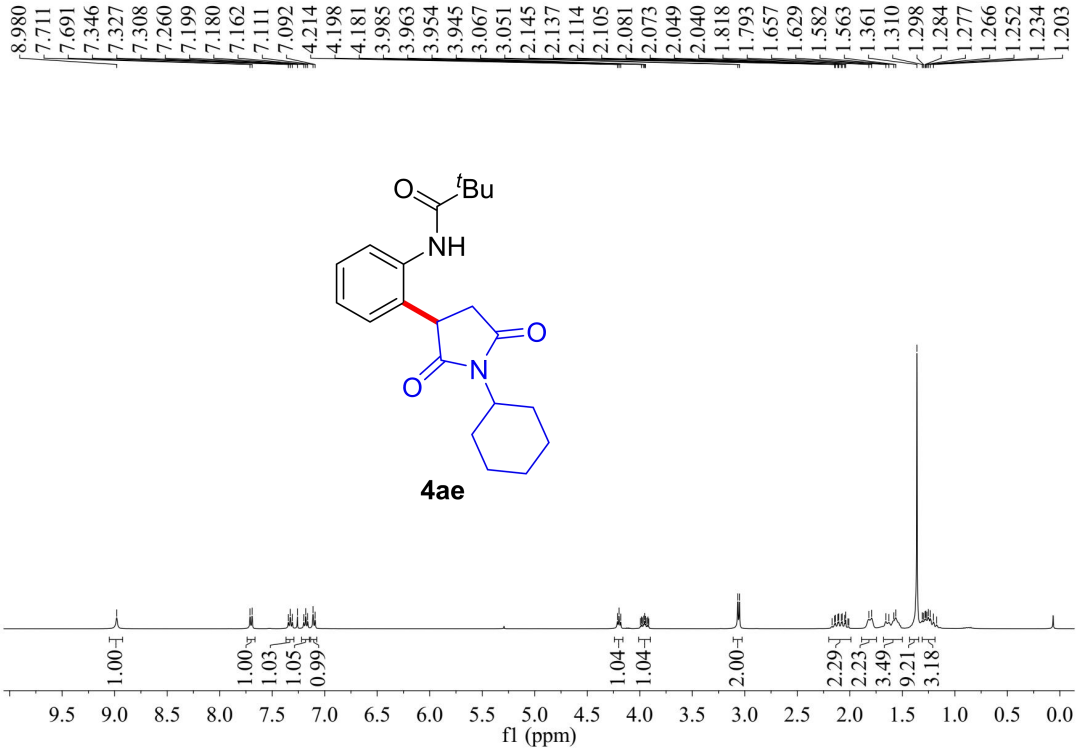
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ad**



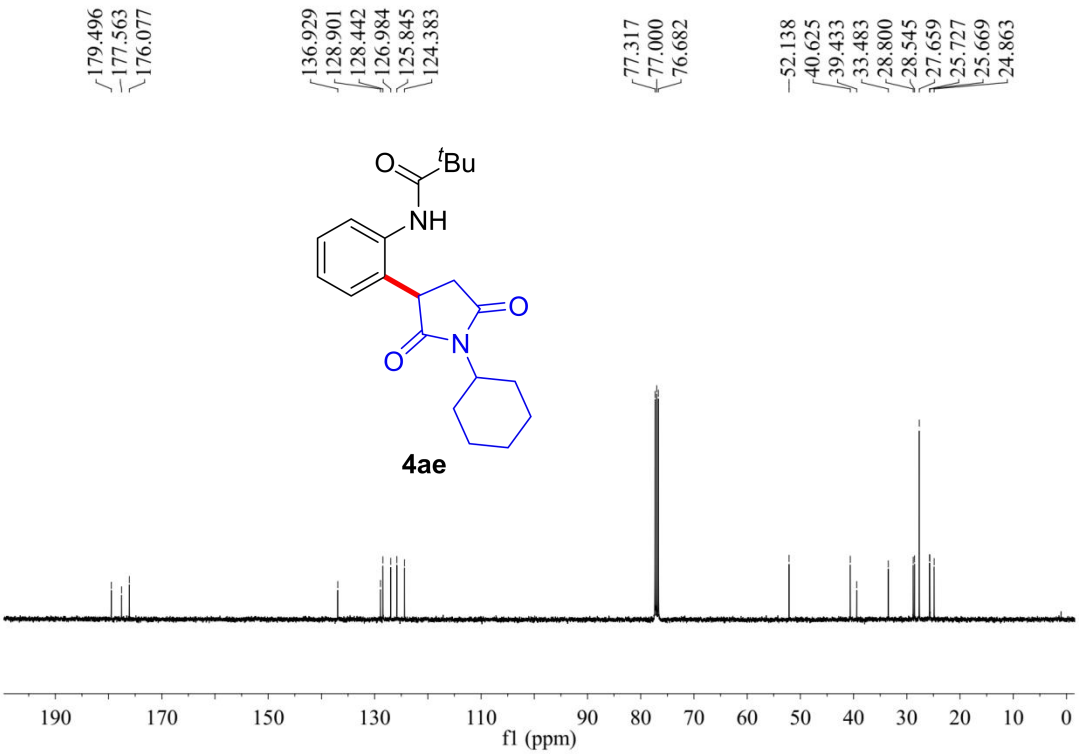
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ad**



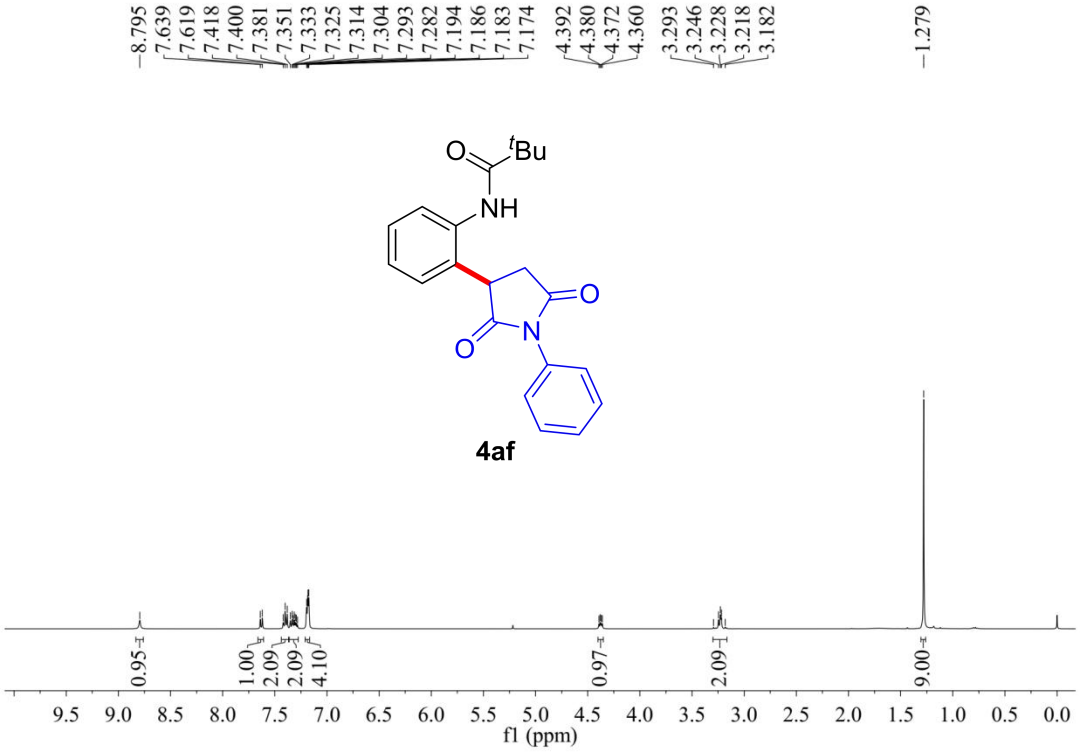
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ae**



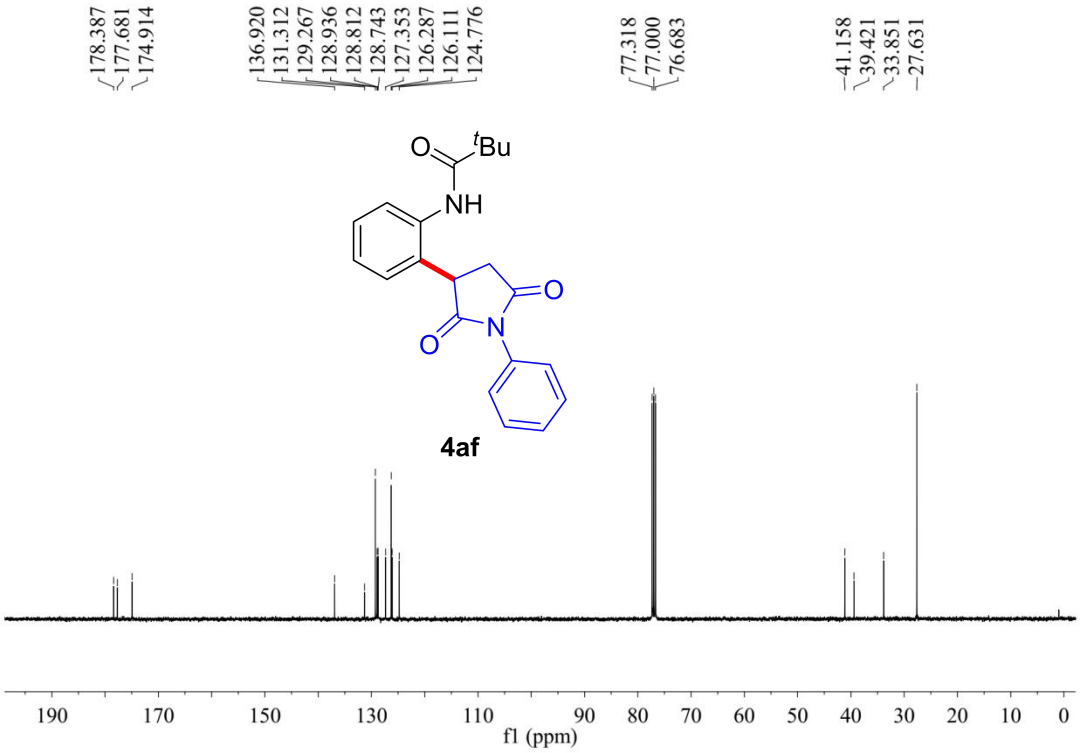
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ae**



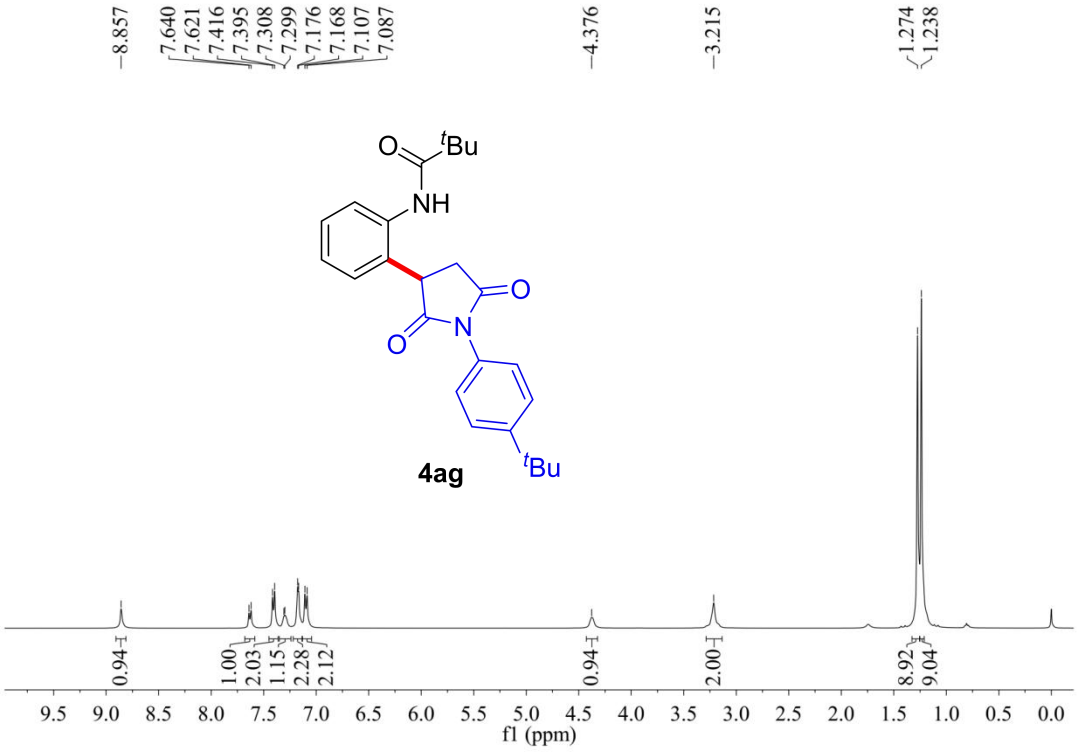
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4af**



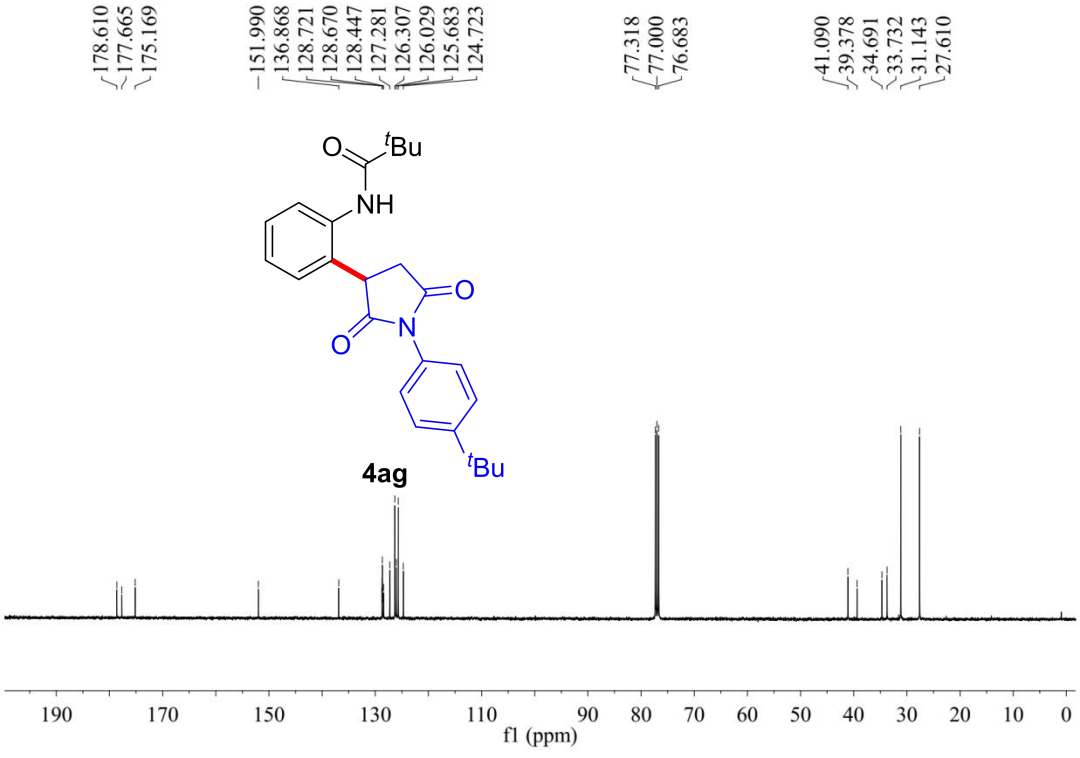
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4af**



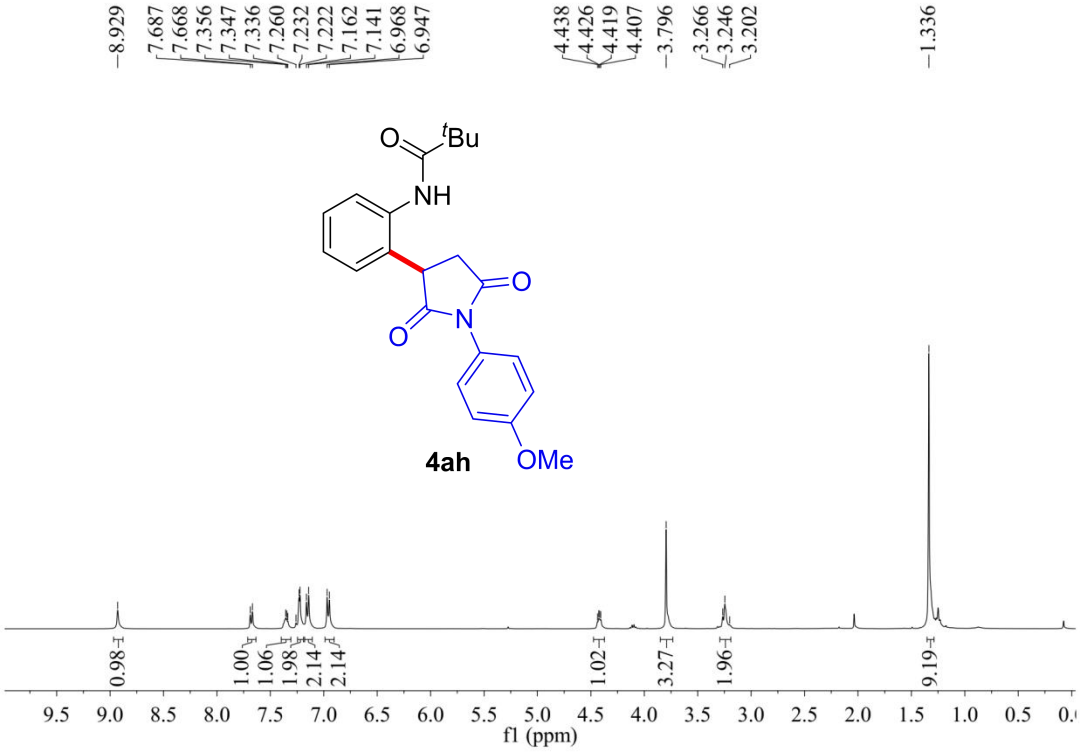
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ag**



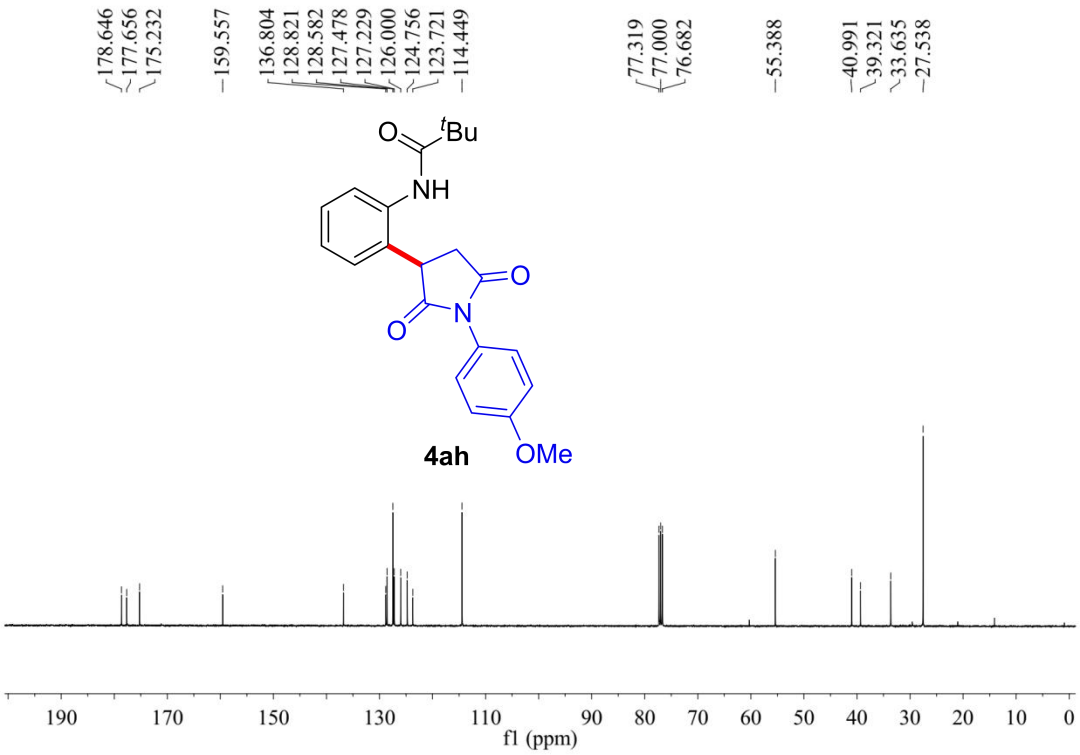
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ag**



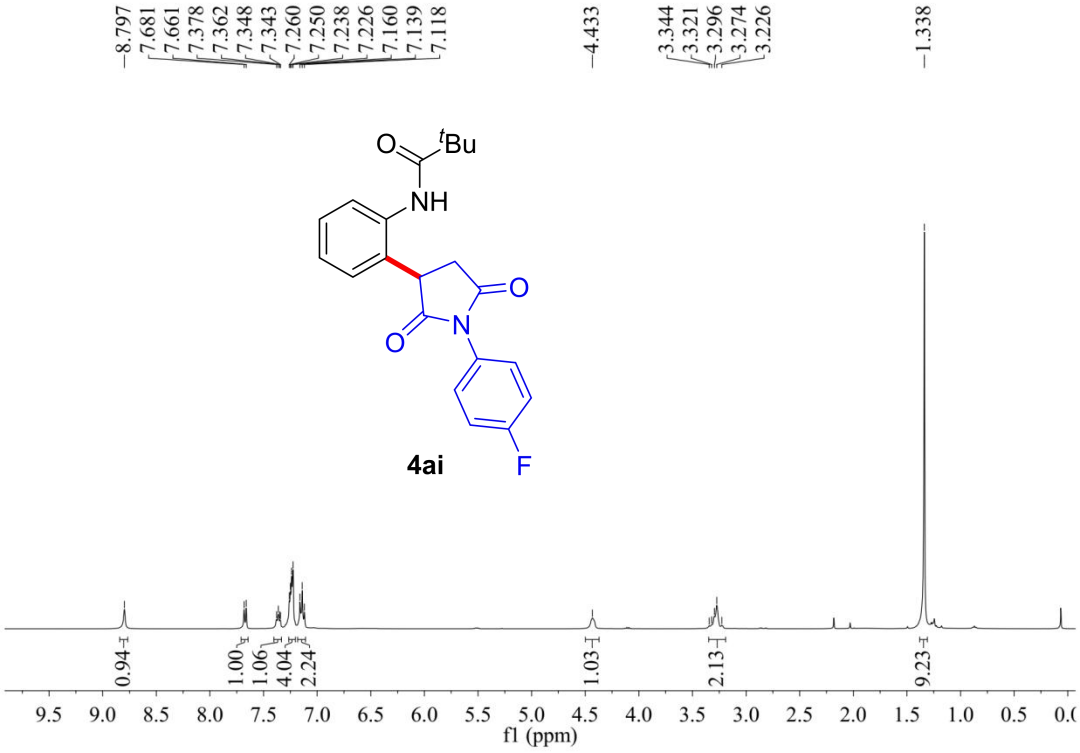
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ah**



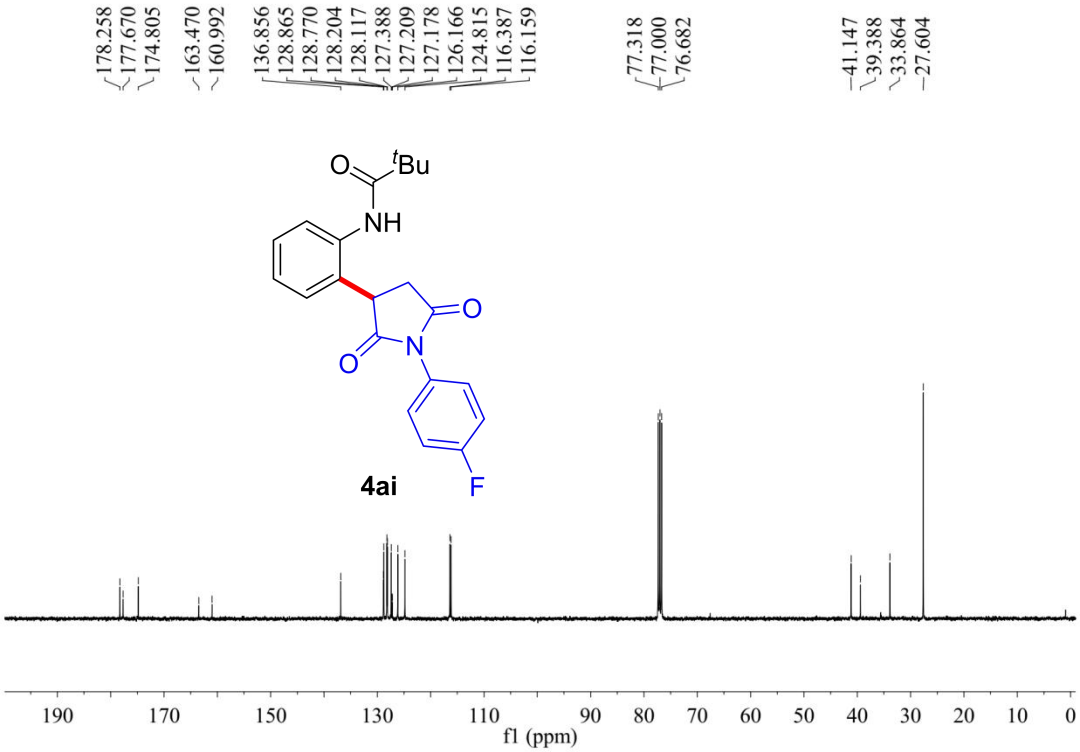
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ah**



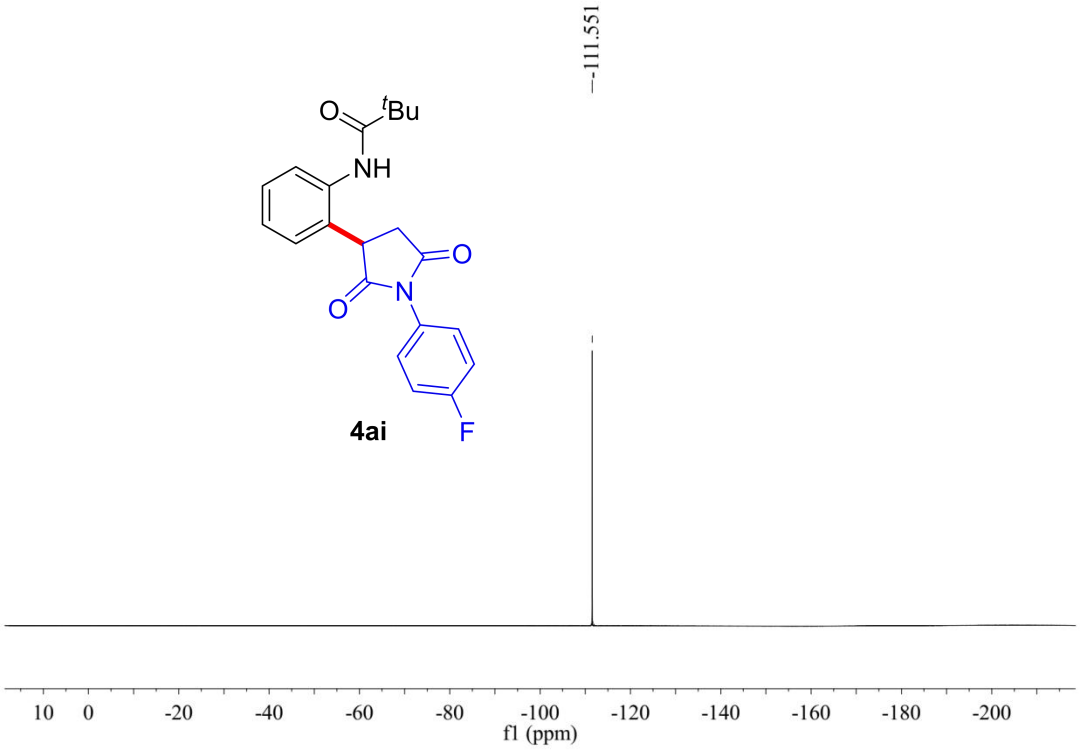
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ai**



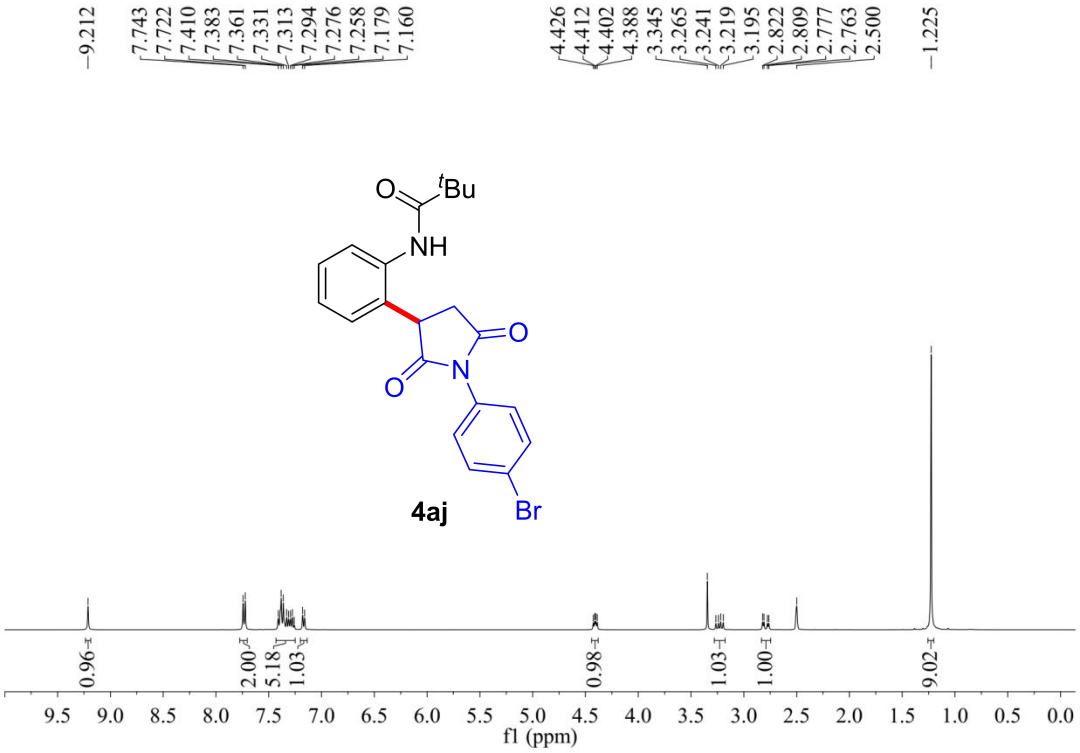
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) Spectrum of **4ai**



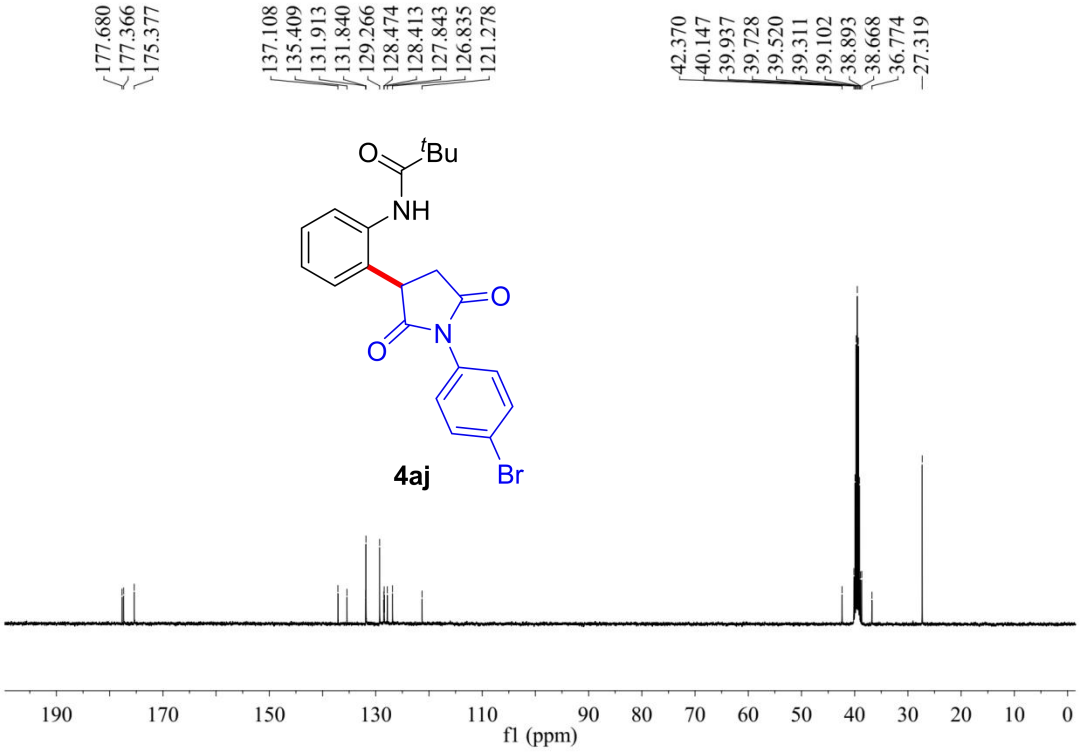
^{19}F NMR (376 MHz, $CDCl_3$)Spectrum of **4ai**



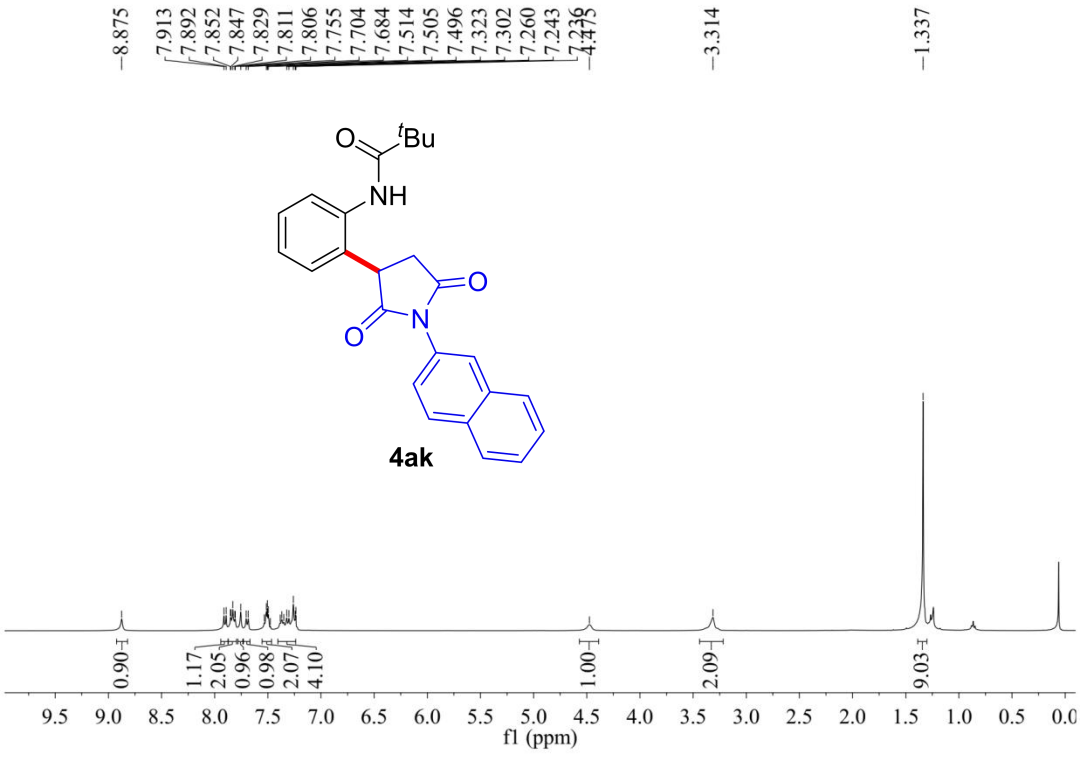
^{1}H NMR (400 MHz, DMSO-d_6) Spectrum of **4aj**



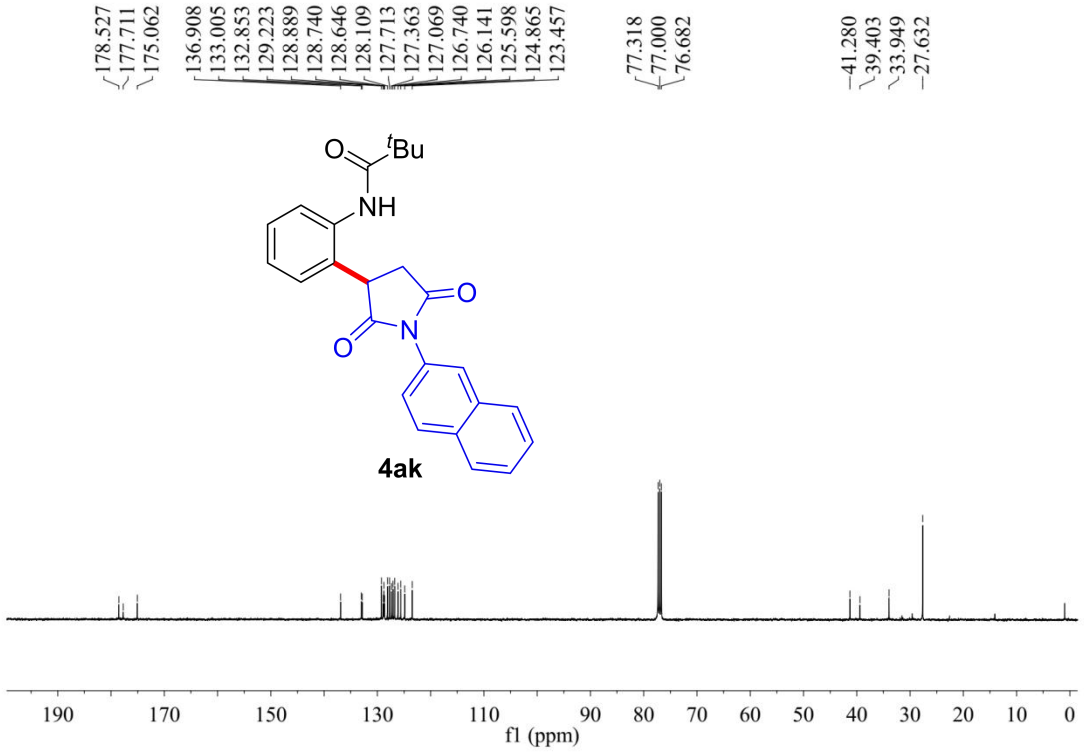
$^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) Spectrum of **4aj**



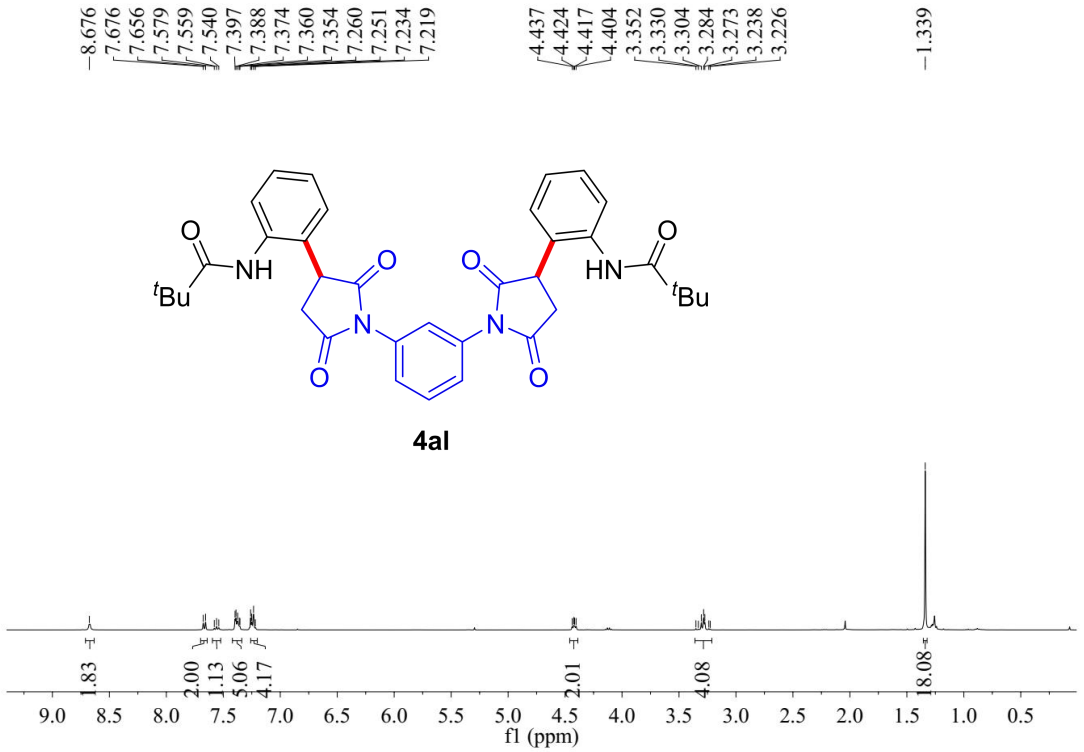
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4ak**



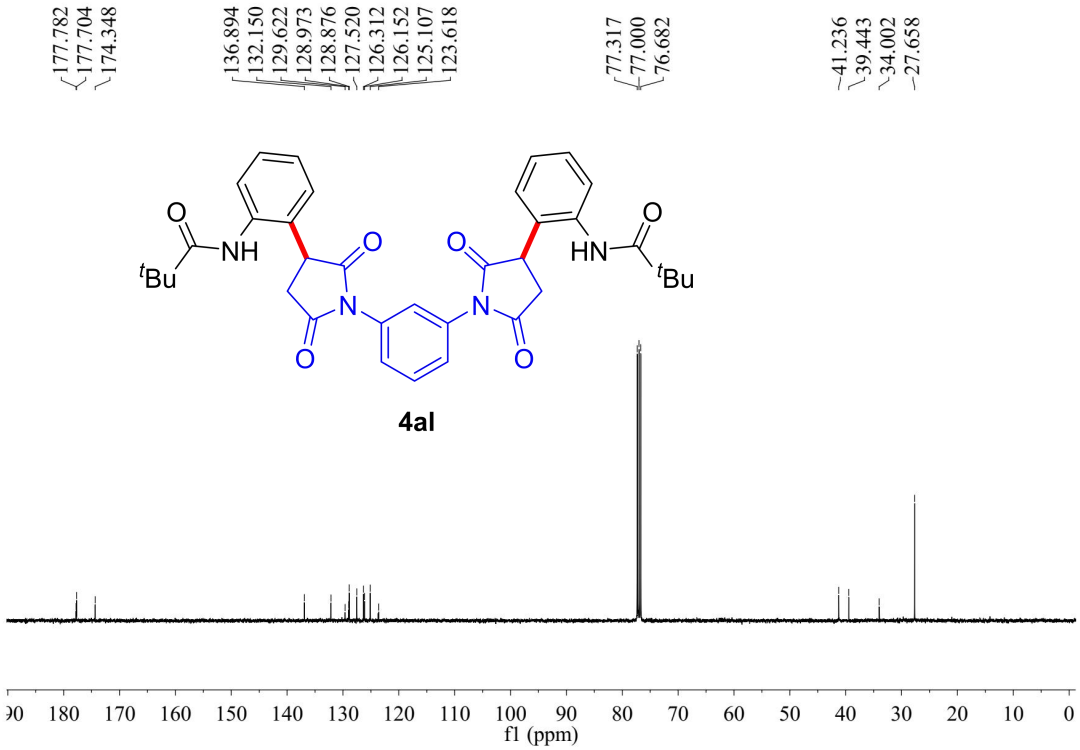
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4ak**



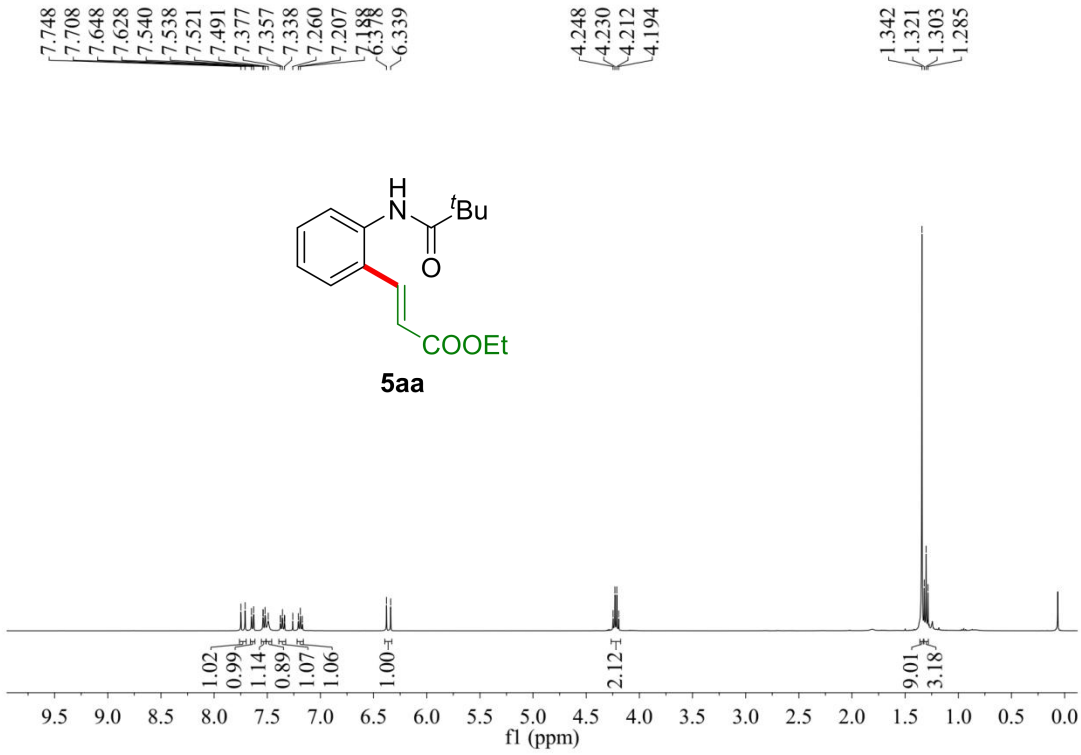
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **4al**



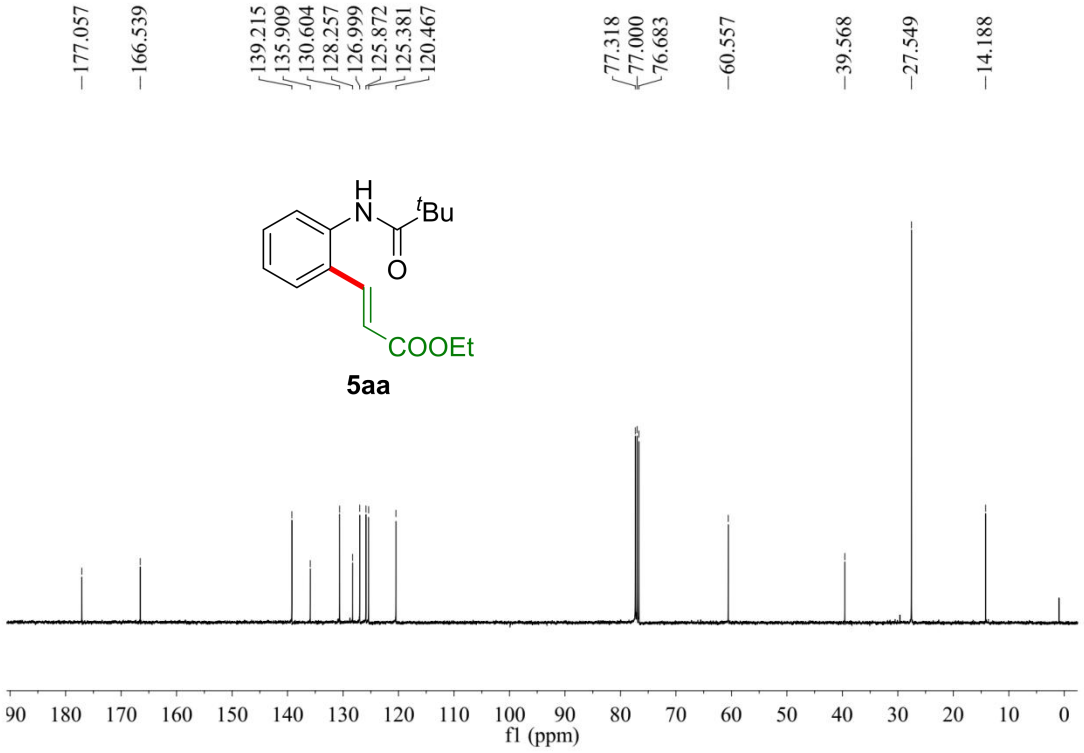
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **4al**



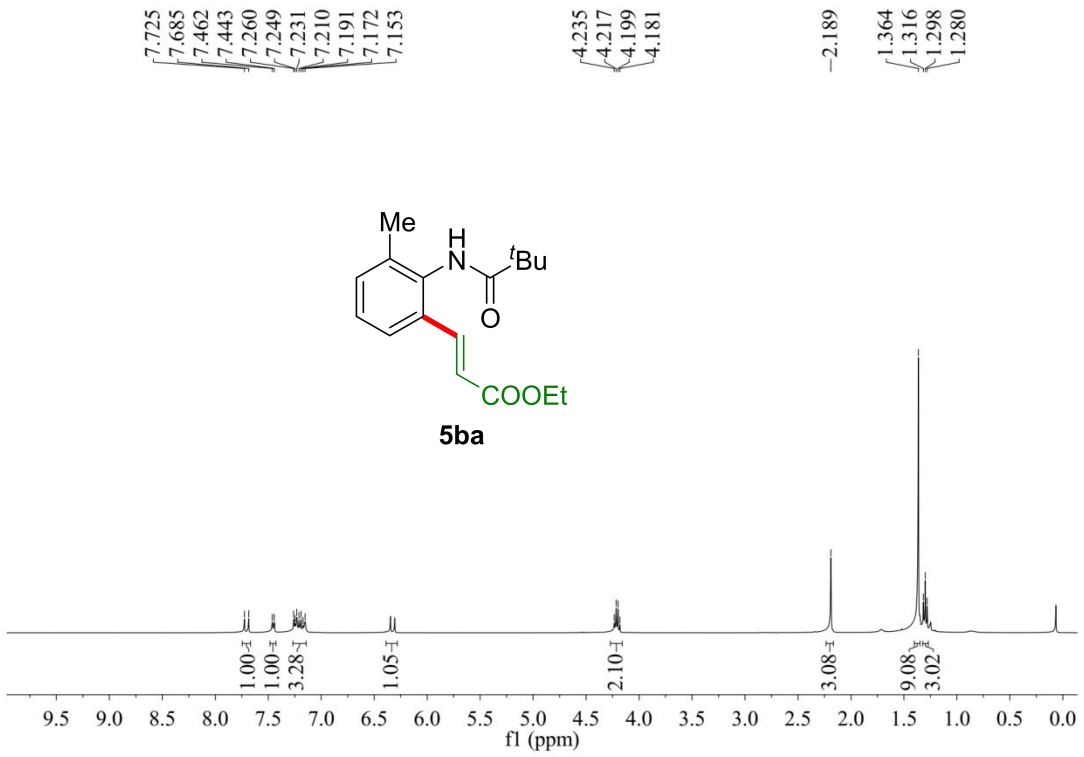
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5aa**



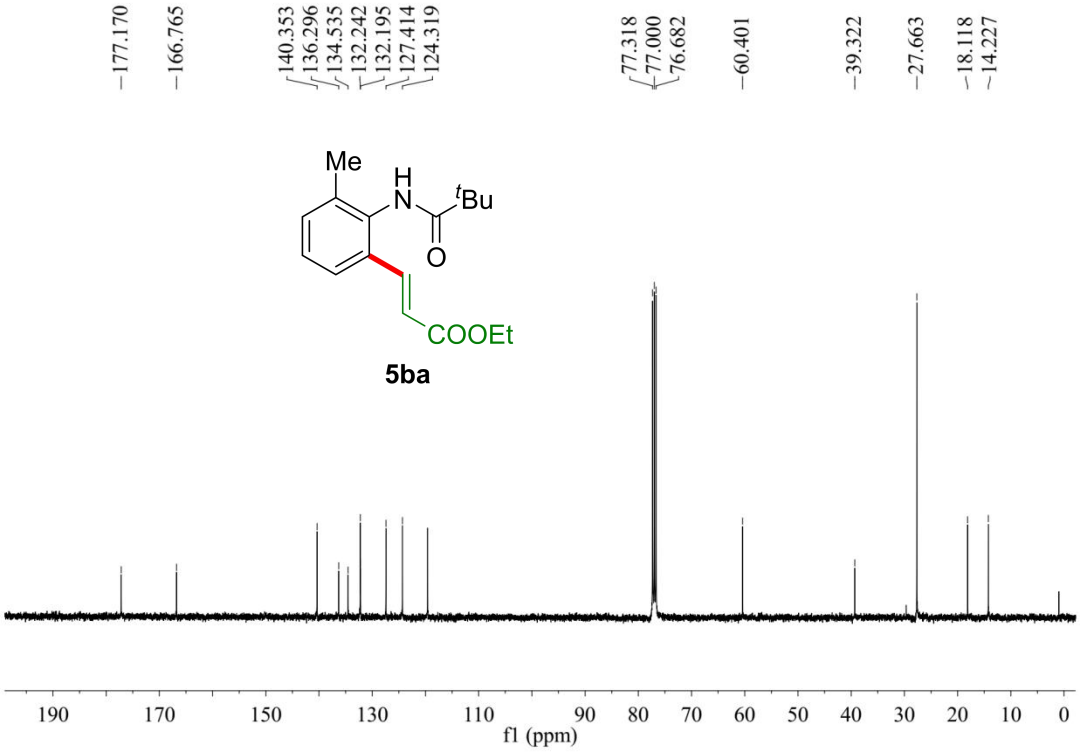
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5aa**



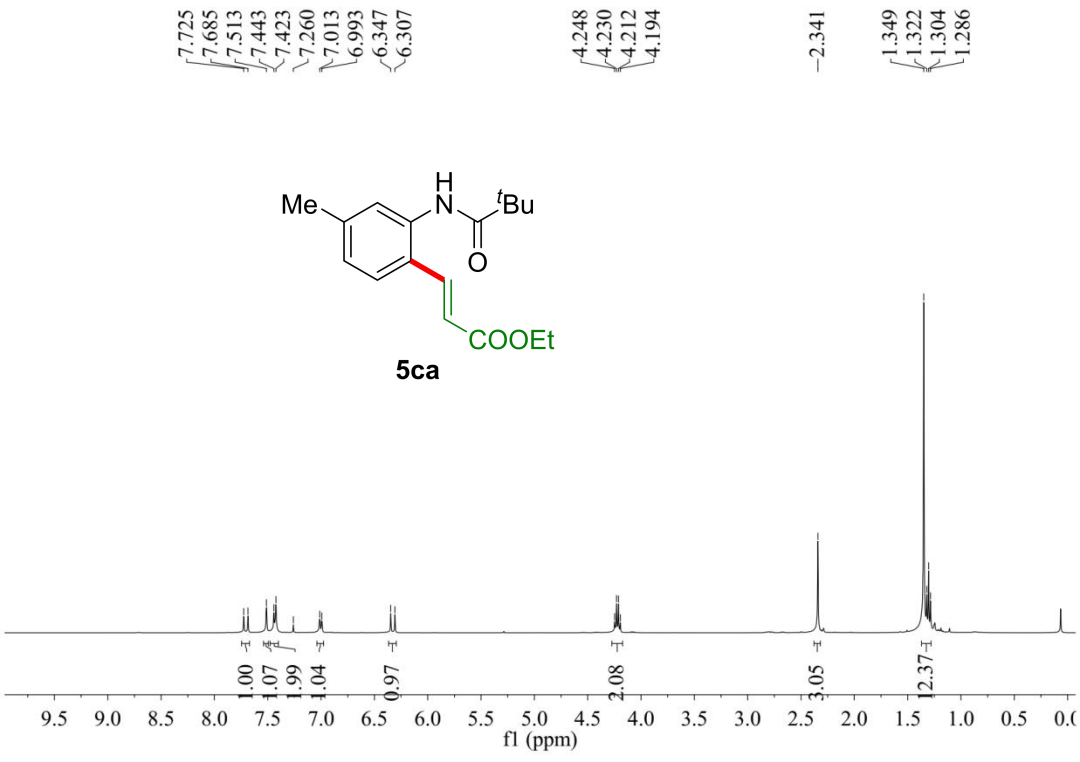
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ba**



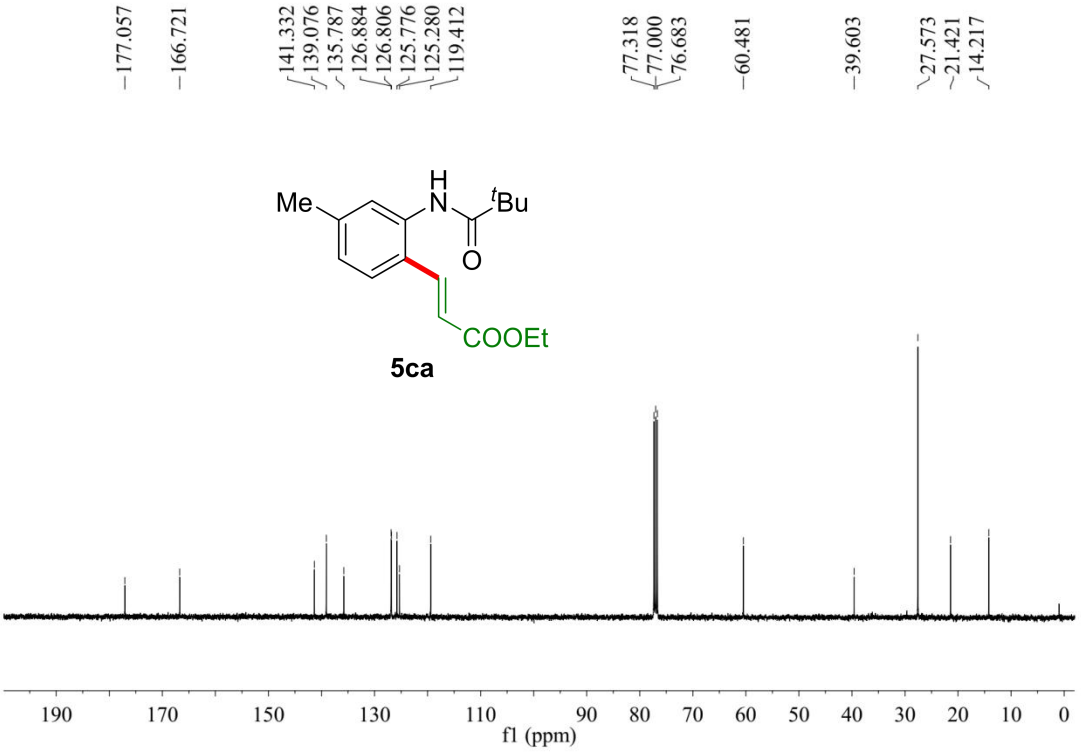
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ba**



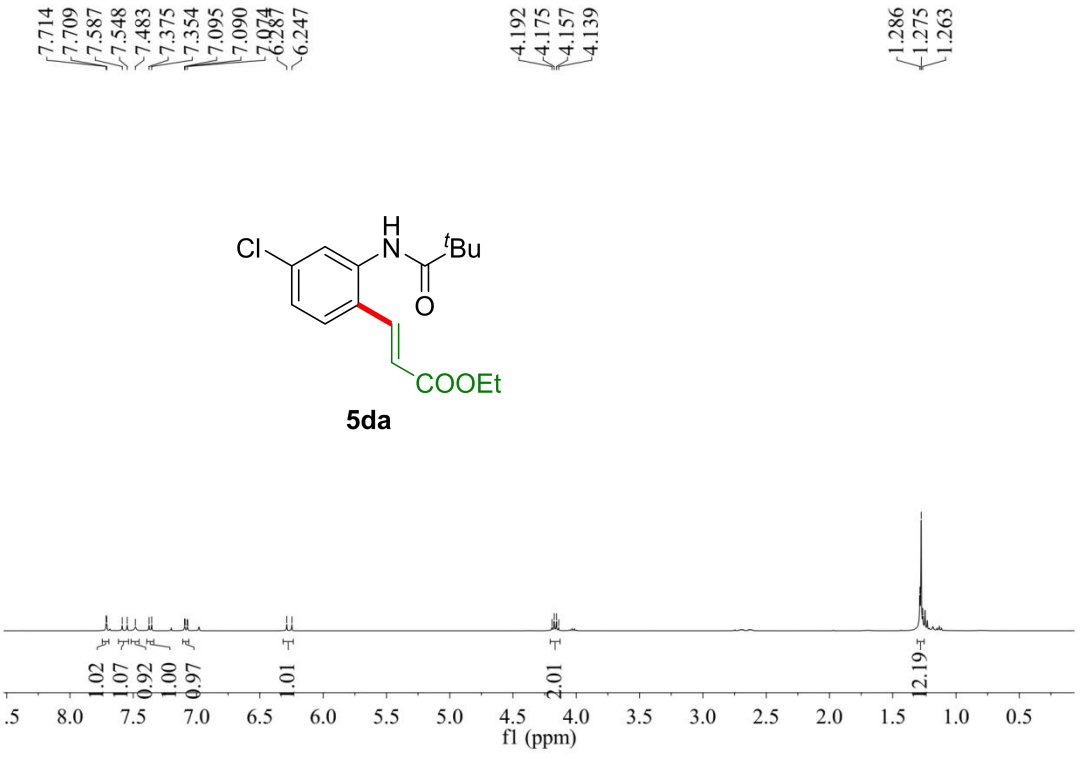
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ca**



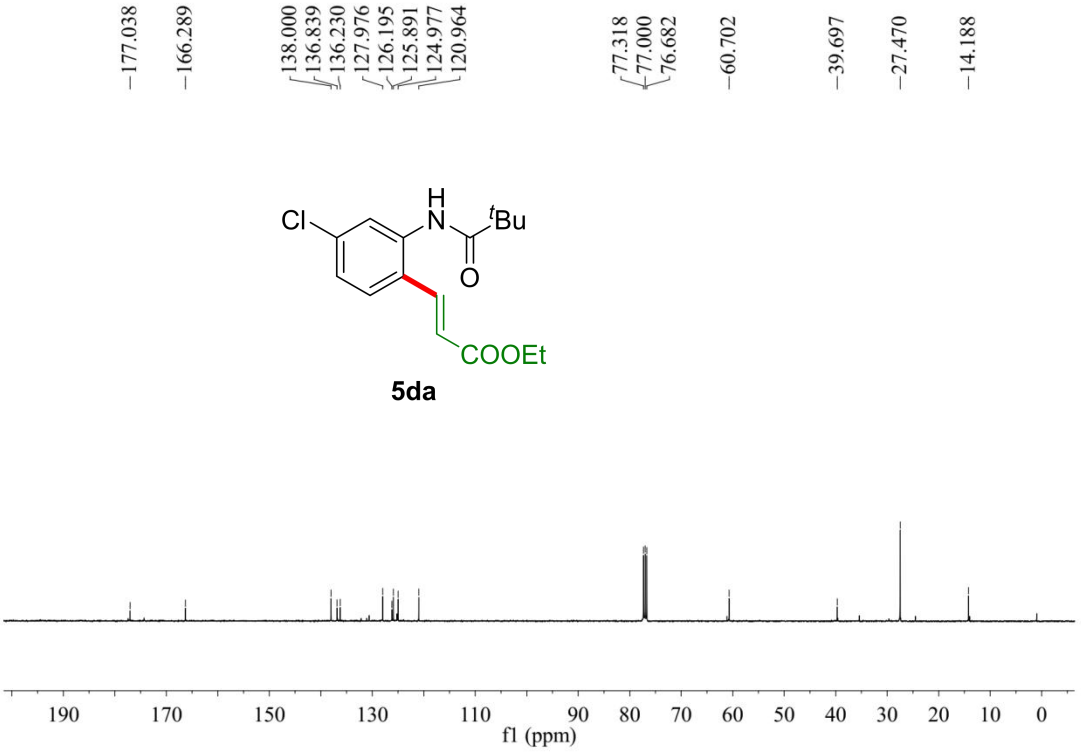
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ca**



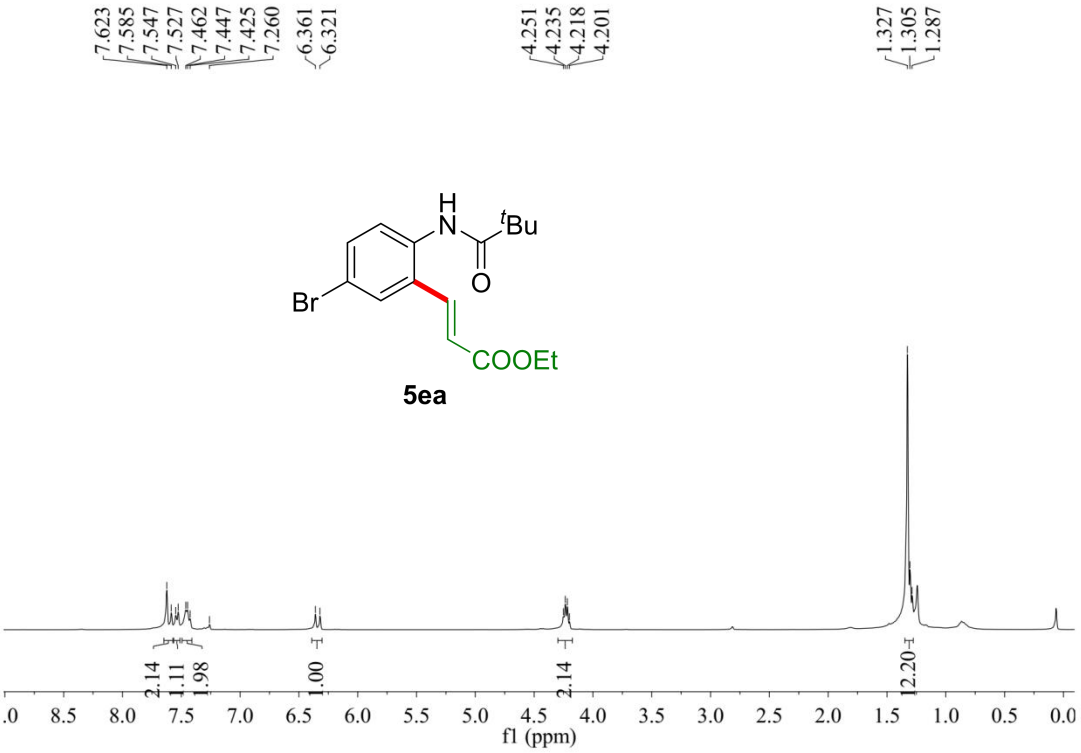
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5da**



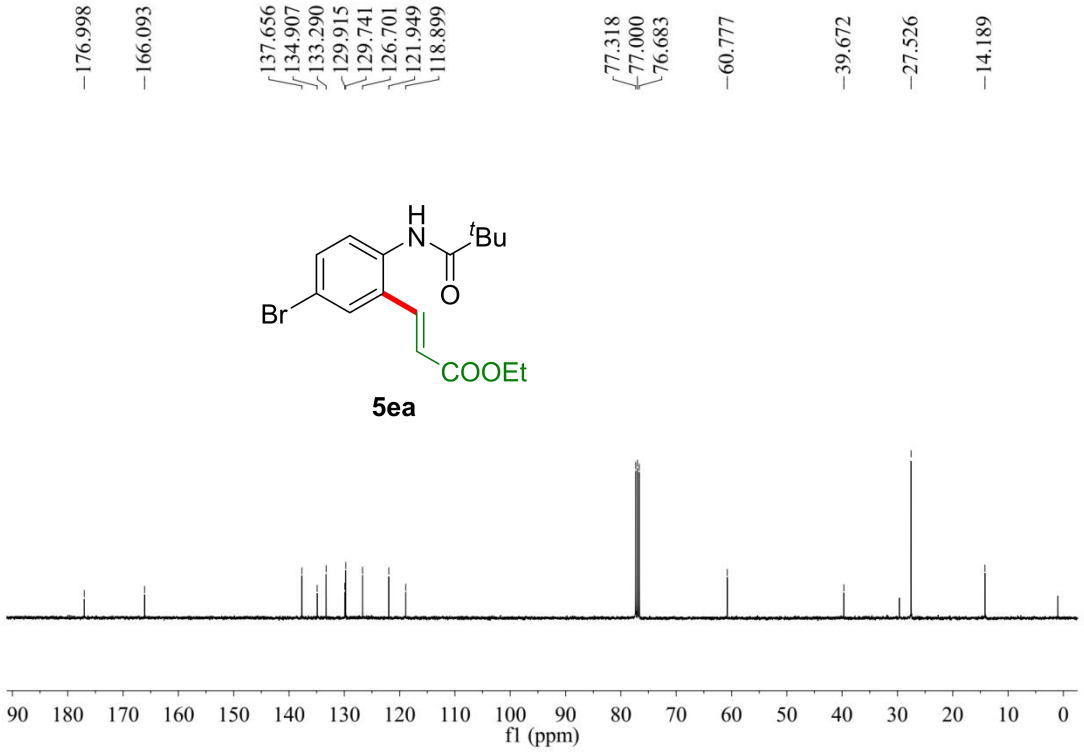
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5da**



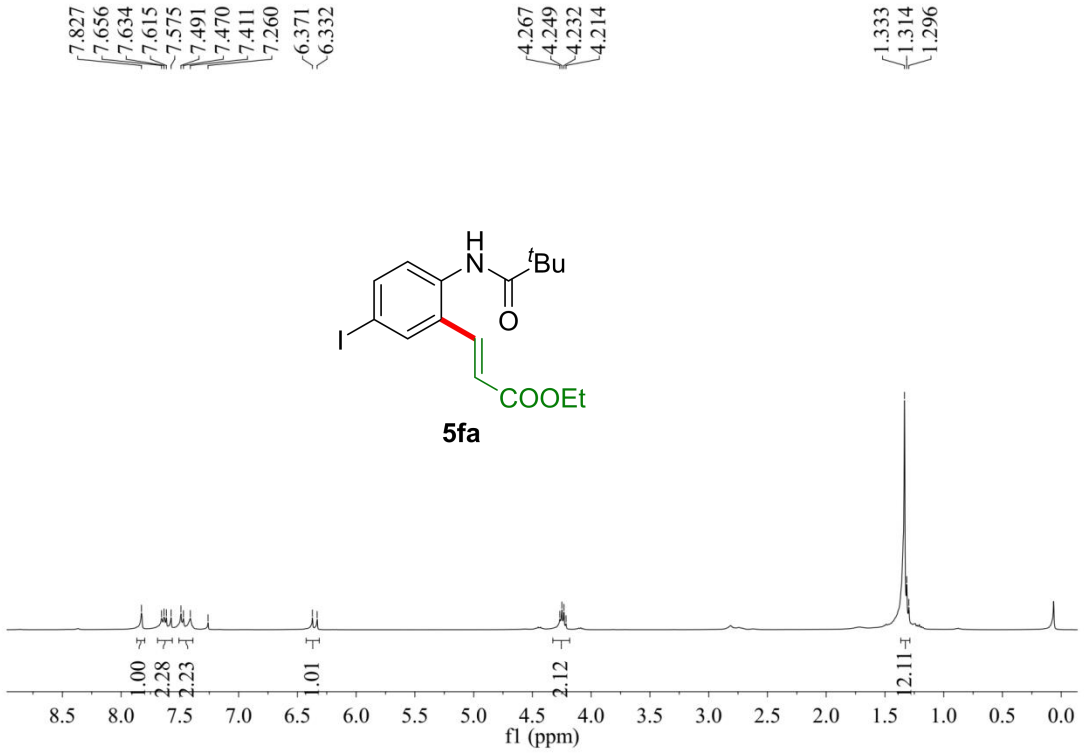
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ea**



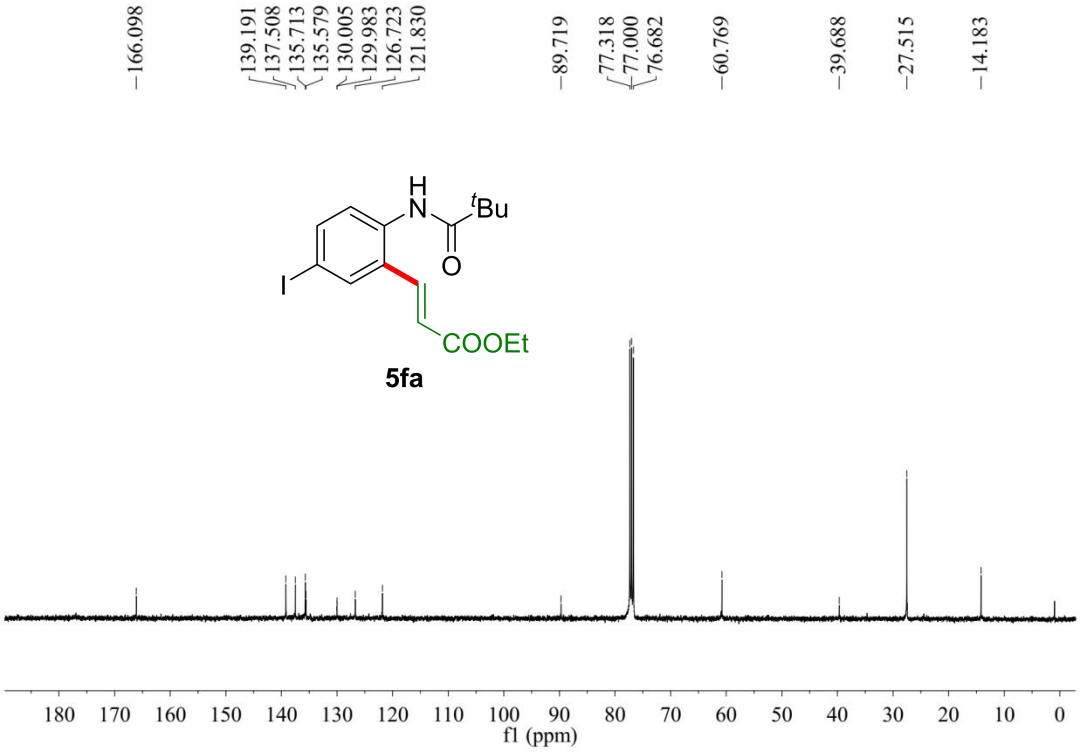
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ea**



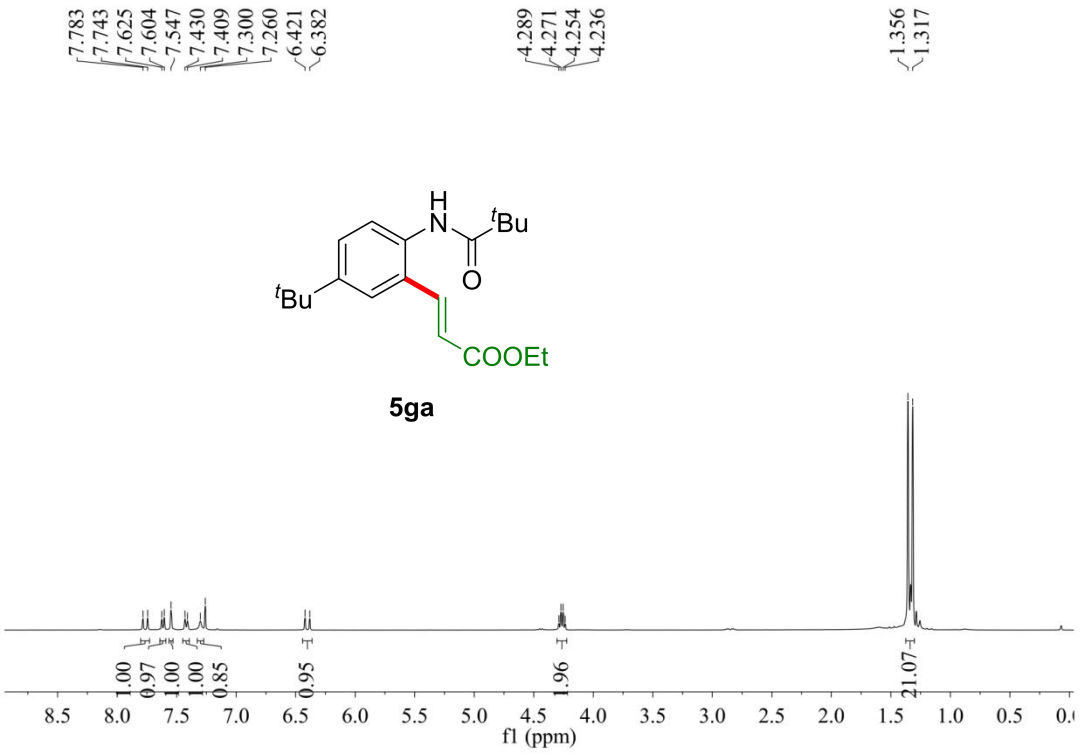
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5fa**



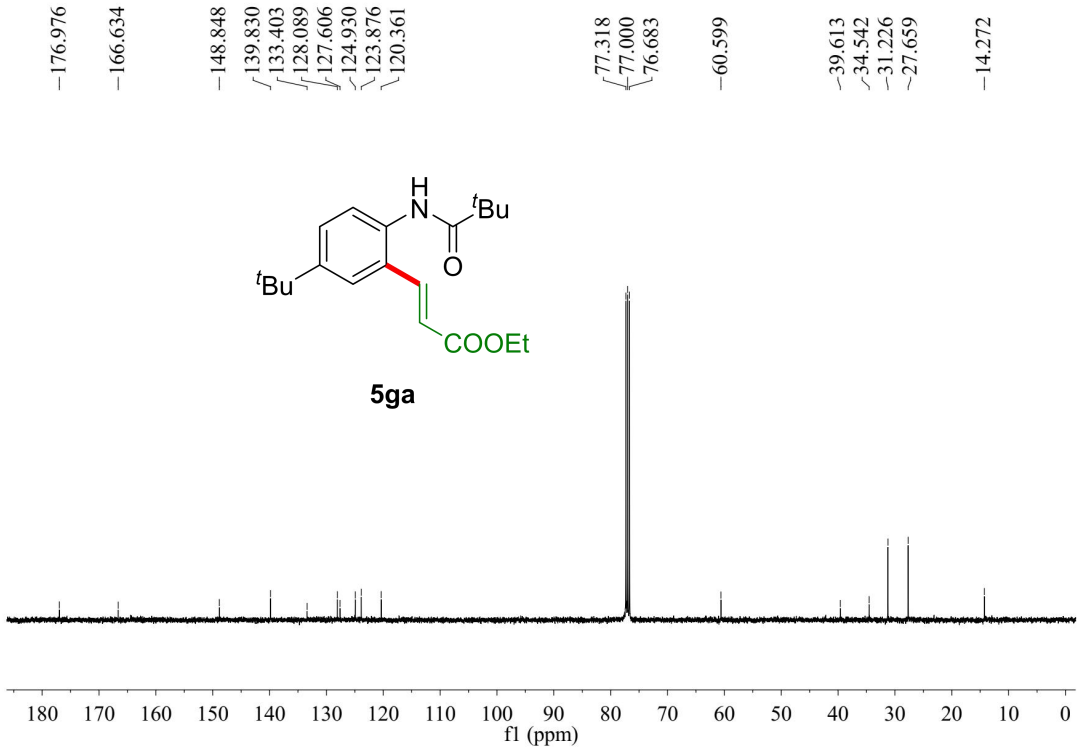
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5fa**



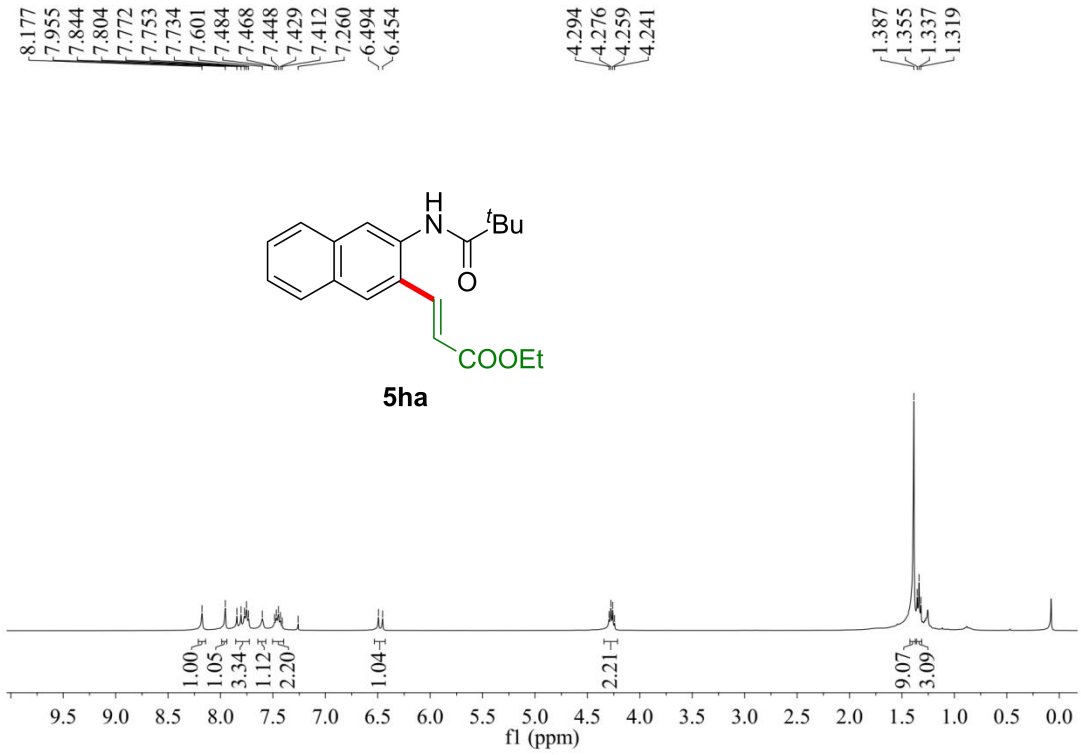
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ga**



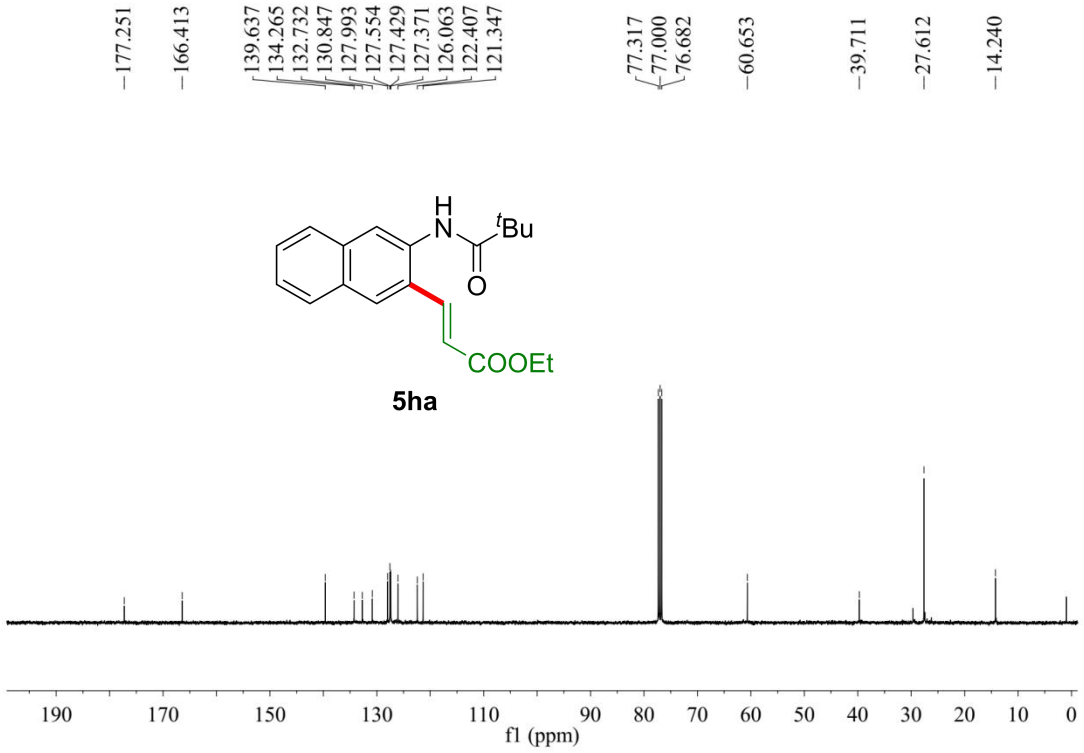
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ga**



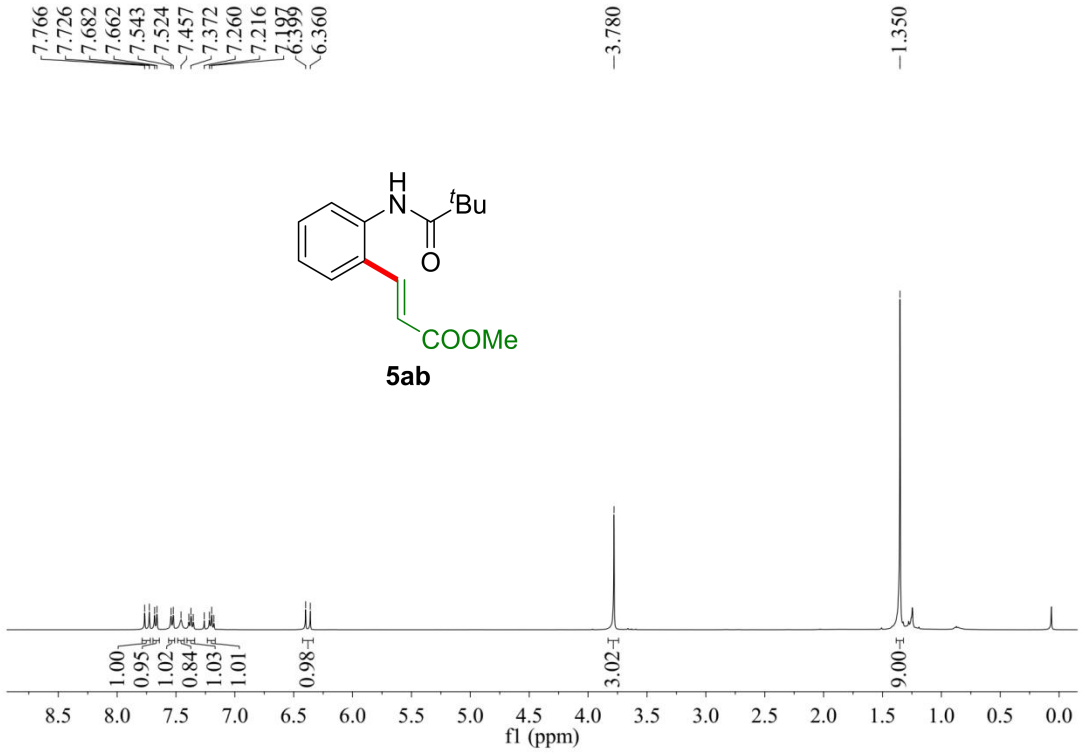
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ha**



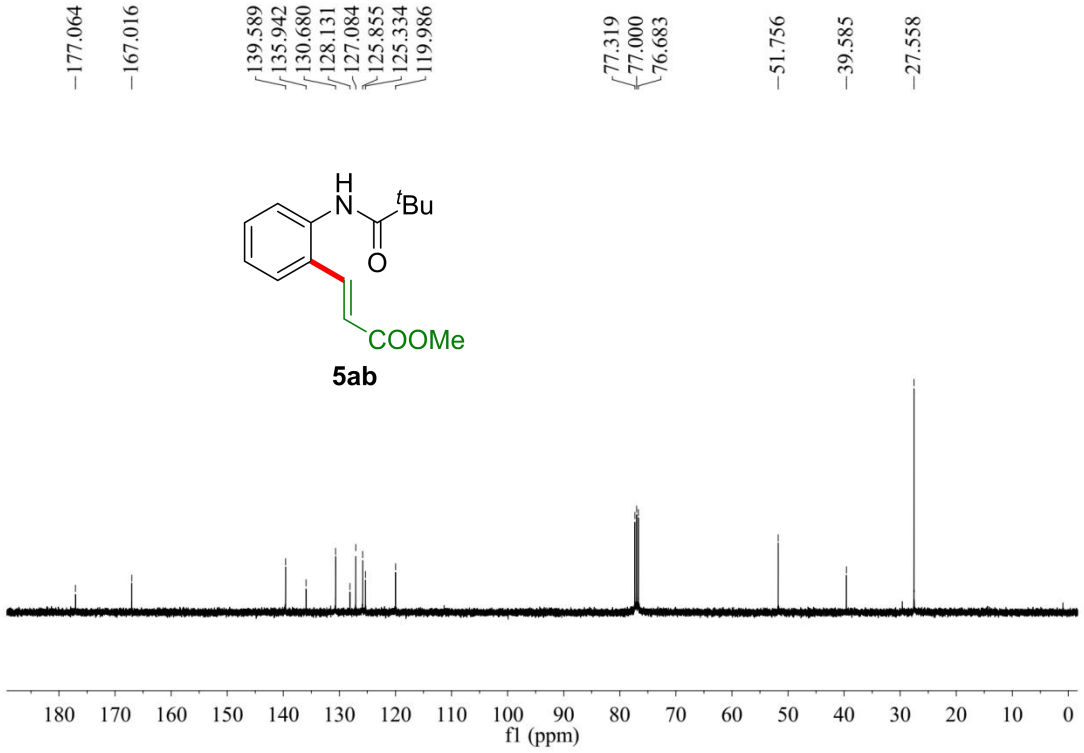
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ha**



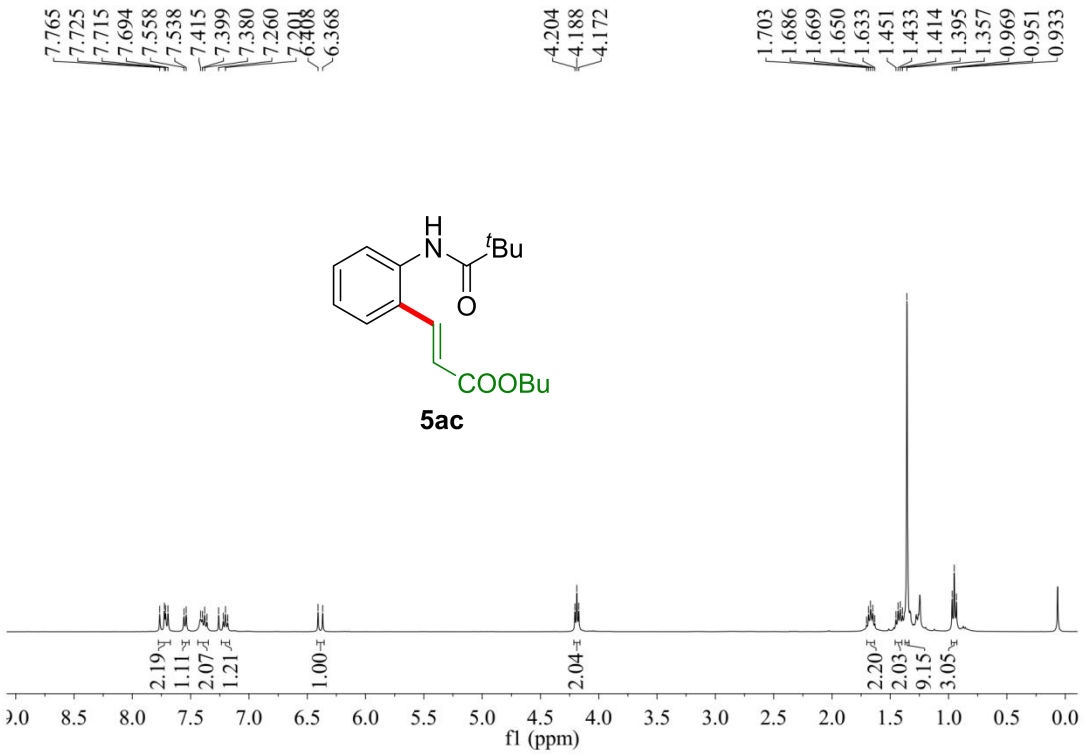
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ab**



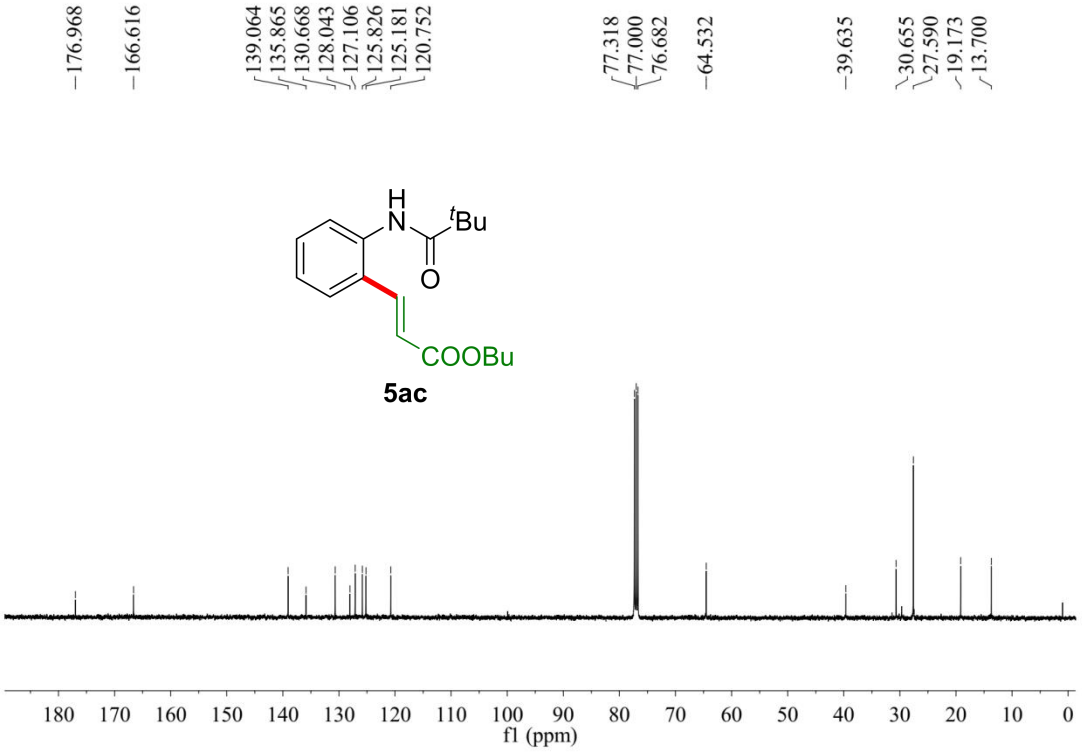
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ab**



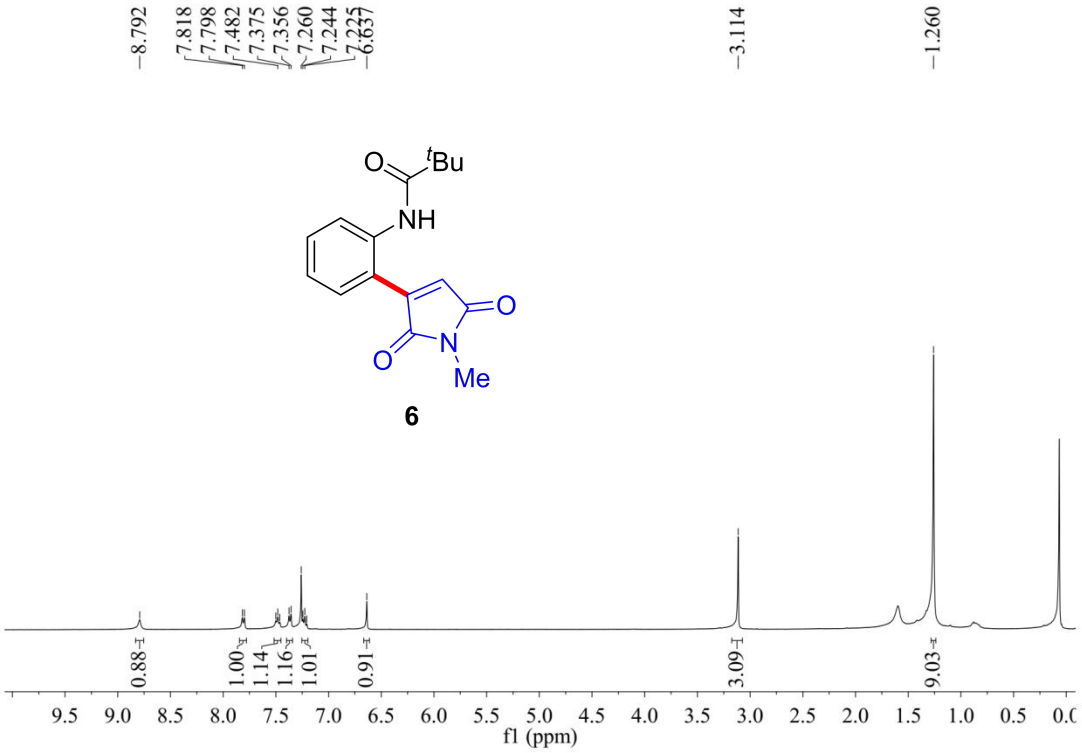
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **5ac**



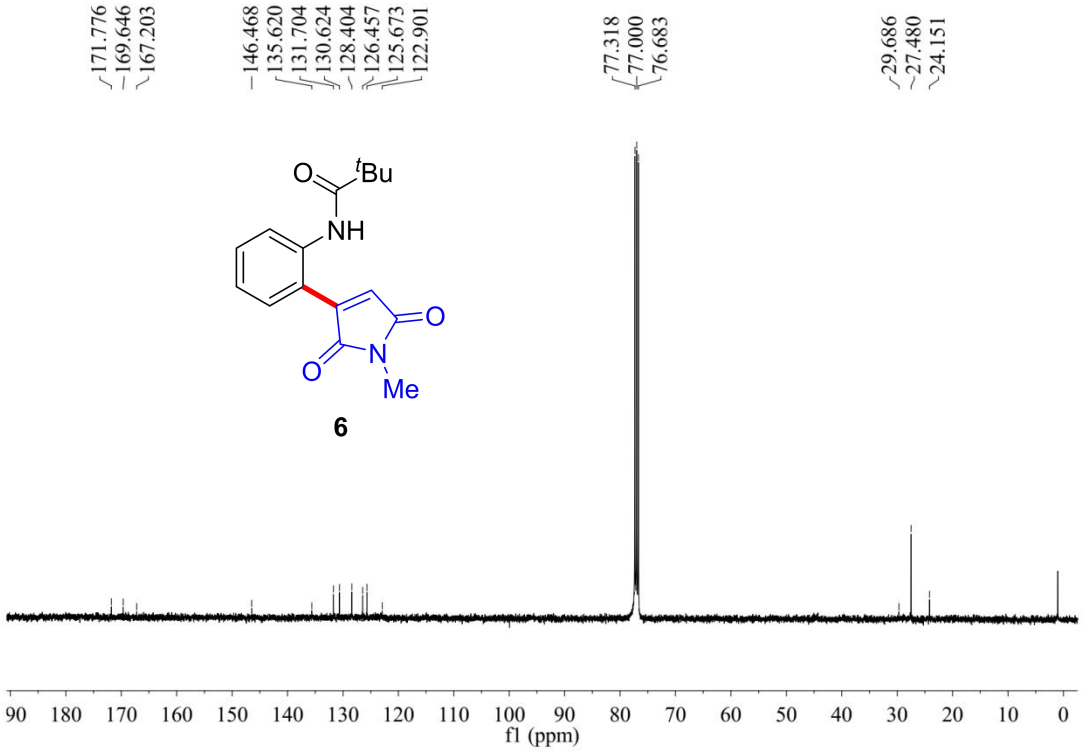
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **5ac**



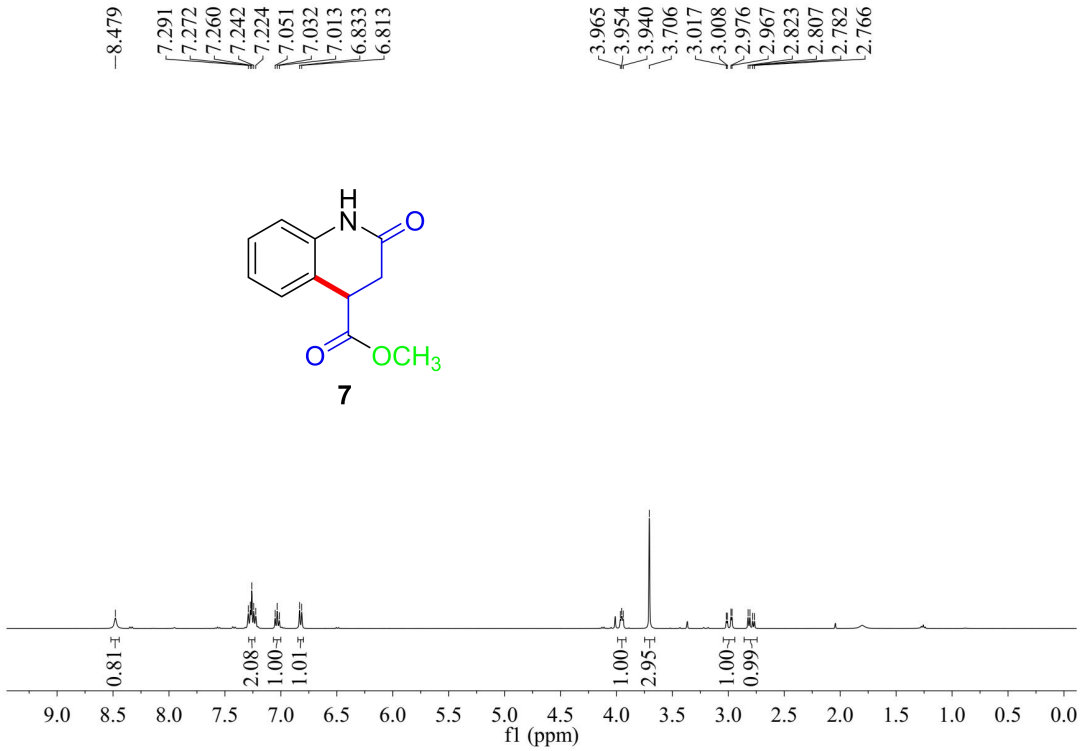
^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **6**



$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **6**



^{1}H NMR (400 MHz, $CDCl_3$) Spectrum of **7**



$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) Spectrum of **7**