Supporting Information:

Diversification of α–Ketoamides via Transamidation Reactions with Alkyl and Benzyl Amines at Room Temperature

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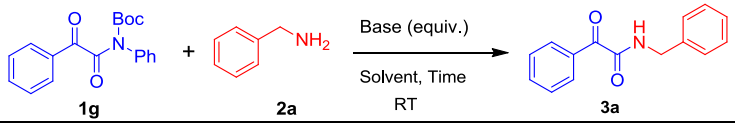
1. Optimization Study: Transamidation of N-Boc α-Ketoamide 1g with benzylamine:

The optimization of reaction condition was investigated using *N*-Boc *N*-phenyl α-ketoamide (**1g**, 1 mmol) and benzylamine (**2a**, 1.5 mmol) as model substrates (**SI-Table 1**). Initially, the reaction was tested in different solvents (AR grade) including THF, acetonitrile, dichloromethane, toluene and DMSO in the absence of base or additives. However, the desired product **3a** was not observed even after 6 h at room temperature (Table 1, entries 1-5). Therefore, the reaction was further investigated in the presence of different organic and inorganic bases (1.0 equiv.) in dichloromethane (Table 1, entries 6-12). Among them, Cs_2CO_3 gave the desired product **3a** relatively in high yield, i.e. 68% (Table 1, entry 6). The optimization of the reaction was further continued by increasing the equivalence of Cs_2CO_3. To our delight, **3a** was achieved in 84% yield in the presence of 1.5 equiv. of Cs_2CO_3 within 2 h at room temperature (Table 1, entry 13).

SI-Table 1. Optimization of transamidation of *N*-Boc *N*-phenyl α-ketoamide (**1g**) with benzylamine (**2a**).[a,b]



1g **2a** **3a**

Entry	Base	Equiv.	Solvent	Time	Yield(%)[b]
1.	-	-	THF	6 h	nr
2.	-	-	CH_3CN	6 h	nr
3.	-	-	DCM	6 h	nr
4.	-	-	Toluene	6 h	nr
5.	-	-	DMSO	6 h	nr
6.	Cs_2CO_3	1.0	DCM	6 h	68
7.	K_2CO_3	1.0	DCM	6 h	20
8.	CsF	1.0	DCM	6 h	34
9.	Et_3N	1.0	DCM	6 h	21
10.	DBU	1.0	DCM	6 h	66
11.	DABCO	1.0	DCM	6 h	47
12.	Pyridine	1.0	DCM	6 h	nr
13.	**Cs_2CO_3**	**1.5**	**DCM**	**2 h**	**84**
14.	Cs_2CO_3	2.0	DCM	2 h	80[c]
15.	Cs_2CO_3	3.0	DCM	2 h	70[c]
16.	Cs_2CO_3	1.5	THF	2 h	70
17.	Cs_2CO_3	1.5	CH_3CN	2 h	77

[a]Reaction conditions: Substrate (**1g,** 1 mmol, 325 mg), benzylamine (**2a**, 1.5 mmol, 0.164 mL) and base were stirred in solvents (AR grade) (3 mL) for an appropriate time. [b]Isolated yield.[c]5-10% of Boc-deprotected parent amide was observed.

In fact, a decrease in yield was observed with 2.0 and 3.0 equiv. of Cs_2CO_3 (Table 1, entries 14 and 15). In these cases, considerable amount (~ 5-10%) of deprotection of Boc group in **1g** was observed indicating that N-Boc amides are not stable under strong basic conditions. Moreover, the transamidation reactions in THF and acetonitrile in the presence of Cs_2CO_3 also gave the desired product **3a**, however in low yields (Table 1, entries 16 and 17).

2. EXPERIMENTAL SECTION

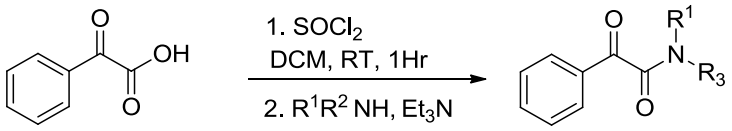
2.1 General information: Solvents (AR grade) and chemicals were purchased from commercial sources and used without further purifications. Aryl methyl ketones, amines and bases were obtained from Sigma-Aldrich, Alfa Aesar and Avra chemicals. The reactions were carried out in round bottom flask unless otherwise stated. Thin-layer chromatography was performed using pre-coated plates purchased from E. Merck (TLC silica gel 60 F254). TLC plates were visualized by exposure to ultraviolet light (UV) with 254 nm of wavelength and then further analyzed by using iodine chamber. The column chromatography was performed on silica gel (100-200 mesh) using a mixture of ethyl acetate/hexane as eluent. The ^1H and ^{13}C NMR spectra were recorded on *BrukerAvance 500 MHz NMR spectrometer* using $CDCl_3$. HRMS-Mass spectra were recorded on UHD Q-Tof (ESI-Tof) using *water's Quattro Micro V 4.1* mass analyzer. In ^1H NMR and ^{13}C NMR spectra, $CDCl_3$ peak is graduated to 7.26 ppm and 77.00 ppm respectively. The ^1H NMR and ^{13}C NMR of the known products were compared with literature reports.

3.0 General procedure for the synthesis of *α*-Ketoamides:

i) Synthesis of amides 1a-1c:

The *α*-ketoamides **1a-1c** were prepared using the literature reports.[1]

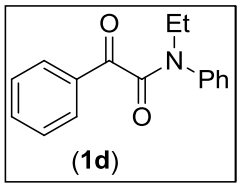
ii) Synthesis of amides 1d, 1e, and 1n:



2-Oxo-2-phenylacetic acid (1 mmol, 0.150 gm) was taken in a round bottom flask under N_2 atomosphere in DCM and cooled to 0 ^oC to which thionyl chloride (2 mmol, 0.145 mL) was added. The reaction mixture was allowed to stir for 1 hour after which a mixture of amine (1.5

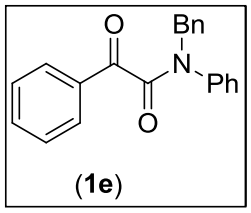
mmol) and triethylamine (2 mmol, 0.264 mL) was added at 0°C and stirred till the completion of reaction at room temperature. Reaction was monitored by thin layer chromatography. After that, the reaction mixture was diluted with ethyl acetate, and washed with brine and H_2O. The organic layer was dried over Na_2SO_4, filtered and evaporated under reduced pressure to give crude product, which was purified by column chromatography on silica gel to afford the title compounds **1d, 1e**, and **1n**.

3.1 *N*-ethyl-2-oxo-*N*,2-diphenylacetamide (1d)[2]: The title compound was obtained as a pale yellow solid. M.p. 92–94 °C. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.62; Yield 76% (191 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.83 (d, J = 7.3 Hz, 2H), 7.56 (t, J = 7.4 Hz, 2H), 7.42 (t, J = 7.7 Hz, 2H), 7.23 (d, J = 6.9 Hz, 2H), 7.12 (dd, J = 7.3, 1.7 Hz, 2H), 3.97 (q, J = 7.2 Hz, 2H), 1.27 (t, J = 7.2 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 190.8, 166.6, 139.3, 134.1, 133.6, 129.4, 129.3, 128.7, 128.6, 128.3, 43.5, 12.9.



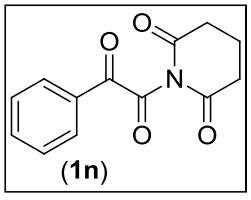
(1d)

3.2*N*-benzyl-2-oxo-*N*,2-diphenylacetamide (1e)[3a]: The title compound was obtained sticky solid. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.60; Yield 95% (235 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.88–7.82 (m, 2H), 7.56 (t, J = 7.4 Hz, 1H), 7.42 (t, J = 7.8 Hz, 2H), 7.33–7.28 (m, 5H), 7.17–7.11 (m, 3H), 6.95 (dd, J = 7.8, 1.5 Hz, 2H), 5.09 (s, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 190.5, 166.9, 139.3, 136.2, 134.2, 133.5, 129.3, 129.2, 128.8, 128.7, 128.6, 128.3, 128.2, 127.8, 52.3.



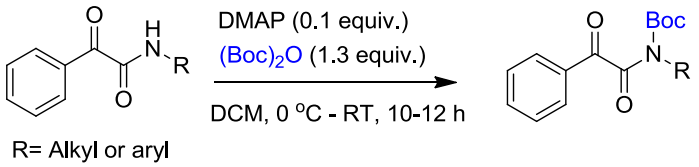
(1e)

3.3 1-(2-oxo-2-phenylacetyl)piperidine-2,6-dione (1n): The title compound was obtained as a dirty white wax. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.55; Yield 80% (195 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.01–8.03 (m, 2H), 7.65 (td, J = 7.6, 1.1 Hz, 1H), 7.51 (t, J = 7.6 Hz, 2H), 2.75 (td, J = 6.6, 1.5 Hz, 4H), 2.06 (dt, J = 6.3, 5.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 183.8 , 171.8, 166.5, 134.7, 131.4, 130.5, 128.7, 32.6, 16.6. **HRMS**: Calc. for $C_{13}H_{12}NO_4$ $[M+H]^+$: 246.0766, Obser.: 246.0766.



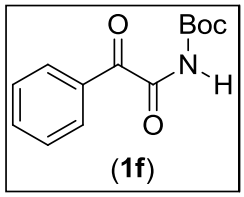
(1n)

iii) General procedure for the Synthesis of *N*-Boc *α*-ketoamides (1f-1j, 1ha-1hh):

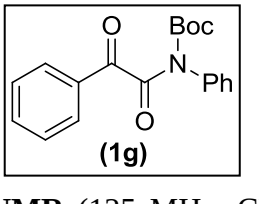


To a stirred solution of amide (1.0 mmol, 1.0 equiv.) and DMAP (0.1 equiv., 12 mg) in dichloromethane (5 mL) was added di-*tert*-butyl dicarbonate (Boc_2O) (1.3 equiv., 0.3 mL) or (2.6 equiv., 0.6 mL for **1f**) at room temperature. The resulting reaction mixture was allowed to stir for 10-12 h at room temperature. After completion, the reaction mixture was quenched with saturated solution of $NaHCO_3$ (10 mL) and extracted with EtOAc (3 × 20 mL). The combined organic layers was washed with water (1 × 20 mL) and brine (1 × 20 mL), and evaporated under reduced pressure. The crude product was purified by silica gel column chromatography (SiO_2: ethyl acetate/hexane) to obtain the *N*-Boc-*α*-keto-amides **1f-1j, 1ha-1hh**.

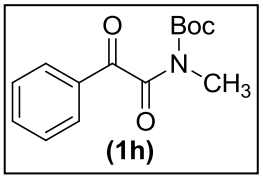
3.4 *tert*-butyl (2-oxo-2-phenylacetyl)carbamate (1f): The title compound was obtained as a colourless liquid. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (70:30), R_f = 0.35; Yield 70% (174 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.46 (s, 1H), 8.16 (s, 2H), 7.67 (d, *J* = 0.7 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 1.47 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.4, 149.3, 134.8, 132.3, 130.5, 128.8, 84.2, 27.8. **HRMS**: Calc. for $C_{13}H_{16}NO_4$ $[M+H]^+$: 250.1079, Obser.: 250.1079.



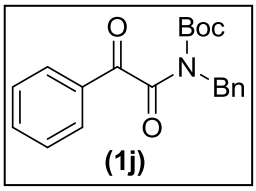
3.5 *tert*-butyl (2-oxo-2-phenylacetyl)(phenyl)carbamate (1g): The title compound was obtained as a white solid. M.p.116–118°C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.32. Yield = 85% (276 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ= 7.99 (dd, *J* = 8.3, 1.2 Hz, 2H), 7.64 (dd, *J* = 11.3, 3.9 Hz, 1H), 7.55–7.45 (m, 4H), 7.43 (dd, *J* = 8.4, 6.3 Hz, 1H), 7.33–7.31 (m, 2H), 1.27 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.4, 169.4, 151.6, 135.7, 134.2, 132.9, 129.5, 129.3, 128.8, 128.8, 128.2, 86.1, 27.5. **HRMS**: Calc. for $C_{19}H_{19}NNaO_4$ $[M+Na]^+$: 348.1212, Obser.: 348.1229.



3.6 *tert*-butylmethyl(2-oxo-2-phenylacetyl)carbamate (1h)[3b]: The title compound was obtained as a white solid. M.p. 72–74°C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.62. Yield = 83% (218 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.86–7.84 (m, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 3.29 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.7, 169.9, 151.7, 134.1, 132.9, 129.4, 128.7, 86.0, 29.8, 27.5.

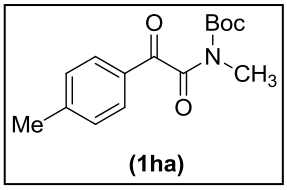


3.7 *tert*-butyl (2-oxo-2-phenylacetyl)(propyl)carbamate (1i): The title compound was obtained as a colorless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.56. Yield = 81% (236 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.83 (d, *J* = 8.1 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 3.79–3.74 (m, 2H), 1.71 (dt, *J* = 8.9, 6.7 Hz, 2H), 1.26 (s, 9H), 0.98 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.6, 169.6, 151.7, 133.9, 132.9, 129.3, 128.6, 85.6, 44.7, 27.4, 21.5, 11.1. **HRMS**: Calc. for $C_{14}H_{17}NNaO_4$ $[M+Na]^+$: 286.1055, Obser.: 286.1062.

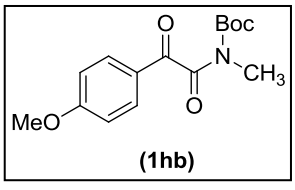


3.8 *tert*-butyl benzyl(2-oxo-2-phenylacetyl)carbamate (1j): The title compound was obtained as a white solid. M.p.51-52 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.35. Yield = 86% (292 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ= 7.83–7.84 (m, 2H), 7.60 (d, *J* = 7.3 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.43 (d, *J* = 7.2 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 2H), 7.32 (d, *J* = 7.2 Hz, 1H), 4.99 (s, 2H), 1.25 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.5, 169.7, 151.7, 136.7, 134.0, 132.9, 129.4, 128.8, 128.6, 128.0, 127.7, 86.0, 46.4, 27.5. **HRMS:** Calc. for $C_{14}H_{17}NNaO_4$ $[M+Na]^+$: 362.1368, Obser: 362.1352.

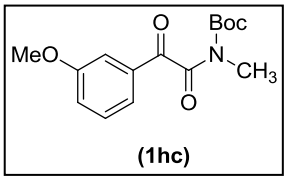
3.9 *tert*-butyl methyl(2-oxo-2-(*p*-tolyl)acetyl)carbamate (1ha): The title compound was obtained as a colourless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.58. Yield = 80% (222 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.74 (d, *J* = 8.2 Hz, 2H), 7.29 (d, *J* = 7.9 Hz, 2H), 3.29 (s, 3H), 2.43 (s, 3H), 1.28 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$)δ= 187.6, 170.0, 151.7, 145.2, 130.4, 129.5, 129.5, 85.9, 29.8, 27.5, 21.8. **HRMS:** Calc. for $C_{15}H_{19}NNaO_4$ $[M+Na]^+$: 300.1212, Obser.: 300.1213.



3.10 *tert*-butyl(2-(4-methoxyphenyl)-2-oxoacetyl)(methyl)carbamate (1hb): The title compound was obtained as a colourless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.58. Yield = 81% (237 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.79 (d, *J* = 8.9 Hz, 2H), 6.95 (d, 2H), 3.86 (s, 3H), 3.27 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.7, 170.0, 164.2, 151.7, 131.7, 125.8, 114.0, 85.7, 55.5, 29.7, 27.5. **HRMS:** Calc. for $C_{15}H_{19}NNaO_5$ $[M+Na]^+$: 316.1161, Obser.: 316.1161.

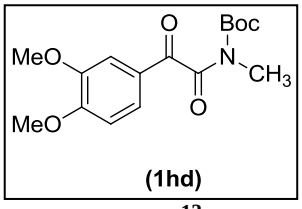


3.11 *tert*-butyl(2-(3-methoxyphenyl)-2-oxoacetyl)(methyl)carbamate (1hc): The title compound was obtained as a colorless sticky liquid. The residue was



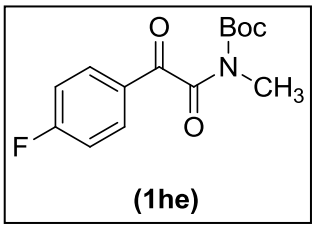
purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.53. Yield = 78% (229 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.42–7.33 (m, 3H), 7.13–7.15 (m, 1H), 3.84 (s, 3H), 3.28 (s, 3H), 1.29 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.5, 169.7, 159.9, 151.7, 134.2, 129.7, 122.4, 120.8, 112.9, 85.9, 55.5, 29.7, 27.5. **HRMS:** Calc. for $C_{15}H_{19}NNaO_5$ $[M+Na]^+$: 316.1161, Obser.: 316.1159.

3.12 *tert*-butyl(2-(3,4-dimethoxyphenyl)-2-oxoacetyl)(methyl)carbamate (1hd): The title compound was obtained as a colourless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.56. Yield = 76% (245 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.47 (d, J = 1.7 Hz, 1H), 7.31 (dd, J = 8.3, 1.8 Hz, 1H), 6.88 (d, J = 8.4 Hz, 1H), 3.92 (d, J = 9.5 Hz, 6H), 3.27 (s, 3H), 1.28 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.8, 169.8, 154.1, 151.7, 149.3, 126.0, 125.1, 110.3, 110.2, 85.6, 56.1, 56.0, 29.8, 27.5. **HRMS:** Calc. for $C_{14}H_{17}NNaO_4$ $[M+Na]^+$: 286.1055, Obser.: 286.1062.



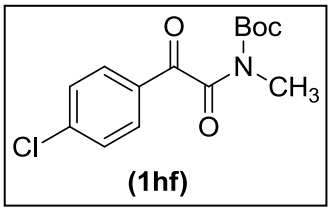
(1hd)

3.13 *tert*-butyl (2-(4-fluorophenyl)-2-oxoacetyl)(methyl)carbamate (1he): The title compound was obtained as a colourless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.55. Yield = 79% (222 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ= 7.89–7.86 (m, 2H), 7.17 (t, J = 8.6 Hz, 2H), 3.28 (s, 3H), 1.30 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ= 186.2, 169.6, 166.2 (d, J = 256.8)J, 151.8, 132.0 (d, J = 9.6 Hz), 129.4 (d, J = 2.9 Hz), 116.1 (d, J=22.3 Hz, 86.0, 29.8, 27.6. **HRMS:** Calc. for $C_{14}H_{16}FNNaO_4$ $[M+Na]^+$: 304.0961, Obser.: 304.0958.



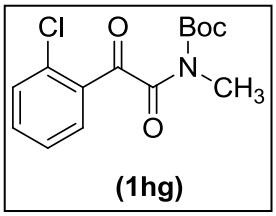
(1he)

3.14 *tert*-butyl (2-(4-chlorophenyl)-2-oxoacetyl)(methyl)carbamate (1hf): The title compound was obtained as pale yellow sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.53. Yield = 78% (232 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.79 (d, J = 6.7, 2H), 7.47 (d, 2H), 3.28 (s, 3H), 1.31 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ= 186.5, 169.4, 151.8, 140.6, 131.3, 130.7, 129.2, 86.1, 29.9, 27.6. **HRMS:** Calc. for $C_{14}H_{16}NNaO_4$ $[M+Na]^+$: 320.0666, Obser.: 320.0664.



(1hf)

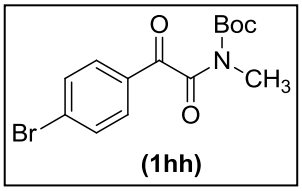
3.15 *tert*-butyl (2-(2-chlorophenyl)-2-oxoacetyl)(methyl)carbamate (1hg): The title compound was obtained as a pale sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.51. Yield = 73% (217 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ= 8.13 (dd, J = 7.8, 1.8 Hz, 1H), 7.54–7.51 (m, 1H), 7.45–7.41 (m, 2H), 3.27 (s, 3H), 1.36 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.1, 169.7, 152.1, 135.0,



(1hg)

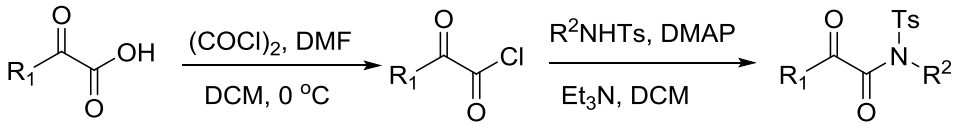
134.7, 132.5, 131.2, 131.0, 127.2, 85.7, 29.8, 27.6. **HRMS:** Calc. for $C_{14}H_{16}ClNNaO_4$ $[M+Na]^+$: 320.0666, Obser.: 320.0662.

3.16 *tert*-butyl (2-(4-bromophenyl)-2-oxoacetyl)(methyl)carbamate (1hh): The title compound was obtained as colorless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:05), R_f = 0.51. Yield = 75% (256 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.70 (d, J = 8.5 Hz, 2H), 7.63 (d, J = 8.6 Hz, 2H), 3.27 (s, 3H), 1.30 (s, 9H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.6, 169.4, 151.7, 132.1, 131.7, 130.7, 129.3, 86.0, 29.8, 27.6. **HRMS:** Calc. for $C_{14}H_{17}BrNNaO_4$ $[M+Na]^+$: 364.0160, Obser.: 364.0162.



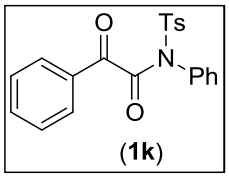
(1hh)

iv) General procedure for the Synthesis of *N*-tosyl*α*-ketoamides (1k, 1l and 1ka-1kk)[4]:



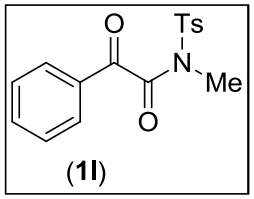
To a solution of 2-oxo-ary/akyl acetic acid (1 mmol) in CH_2Cl_2 (2 mL) were added oxalyl chloride (0.1 mL, 1.2 mmol, 1.2 equiv) and DMF (two drops) at 0 °C. The mixture was stirred until gas evolution stopped. Then, the reaction mixture was concentrated under reduced pressure and was used directly in the next step. To a mixture of the N-phenyl sulfonamide (1 mmol), DMAP (0.5 mmol%) and Et_3N (0.155 mL, 2 mmol) in CH_2Cl_2 (10 mL) was added slowly the acyl chloride made above in CH_2Cl_2 (2 ml) at 0^oC. The reaction mixture was stirred at room temperature for 2 h. Then the reaction mixture was washed with 5% HCl, brine and H_2O. The organic layer was dried over Na_2SO_4, filtered and evaporated under reduced pressure to give crude product, which was purified by column chromatography on silica gel to afford *N*-tosyl α-ketoamides **1k, 1l and 1ka-1kk**.

3.17 2-oxo-*N*,2-diphenyl-*N*-tosylacetamide (1k)[5]:The title compound was obtained as a colourless oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.52; Yield 93% (351 mg). IR: 3061, 2921, 1700, 1377, 1229, 1173. **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.94 (d, J = 7.4 Hz, 2H), 7.75 (d, J = 8.2 Hz, 2H), 7.63–7.62 (m, 1H), 7.53 (t, J = 7.8 Hz, 2H), 7.45–7.32 (m, 5H), 7.13 (d, J = 7.3 Hz, 2H), 2.47 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.6, 166.7, 145.9, 134.6, 134.0, 133.4, 132.7, 130.5, 130.2, 129.7, 129.6, 129.4, 129.0, 128.9, 21.8.



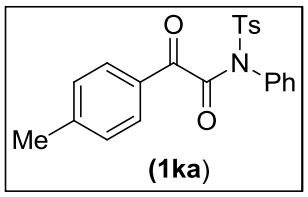
(1k)

3.18 *N*-methyl-2-oxo-2-phenyl-*N*-tosylacetamide (1l)[5]: The title compound was obtained as yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (70:30), R_f = 0.60; Yield 78% (137 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.95–7.93 (m, 2H), 7.93–7.89 (m, 2H), 7.66–7.62 (m, 1H), 7.52 (dd, *J* = 10.6, 4.6 Hz, 2H), 7.39 (d, *J* = 6.7 Hz, 2H), 3.24 (s, 3H), 2.45 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 188.0, 167.2, 145.9, 134.4, 133.4, 132.7, 130.1, 129.6, 128.8, 128.3, 30.7, 21.7. **HRMS**: Calc. for $C_{16}H_{16}NO_4S$ $[M+H]^+$: 318.0800, Obser.: 318.0807.



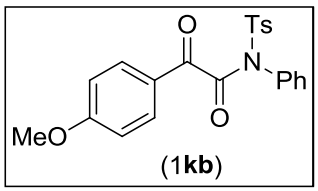
(**1l**)

3.19 2-oxo-*N*-phenyl-2-(*p*-tolyl)-*N*-tosylacetamide (1ka) [5]: The title compound was obtained as pale yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.48; Yield 82% (321 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.80 (dd, *J* = 25.8, 6.6 Hz, 4H), 7.44-7.31 (m, 8H), 7.14 (s, 2H), 2.47 (s, 3H), 2.44 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.2, 166.7, 145.9, 145.8, 134.1, 133.5, 130.5, 130.2, 130.1, 129.7, 129.7, 129.4, 129.0, 21.9, 21.7.



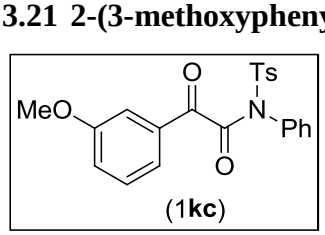
(**1ka**)

3.20 2-(4-methoxyphenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1kb) [5]: The title compound was obtained as pale yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.52; Yield 83% (338 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.88 (d, *J* = 8.7 Hz, 2H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.42–7.33 (m, 4H), 7.14 (d, *J* = 7.3 Hz, 2H), 6.98 (d, *J* = 8.8 Hz, 2H), 3.88 (s, 3H), 2.47 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.2, 166.9, 164.8, 145.7, 134.2, 133.6, 132.0, 130.6, 130.1, 129.7, 129.4, 129.0, 125.6, 114.3, 55.6, 21.7.



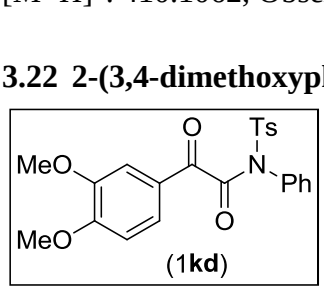
(1**kb**)

3.21 2-(3-methoxyphenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1kc): The title compound was obtained as pale yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.55; Yield 81% (330 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.75 (d, *J* = 8.0 Hz, 2H), 7.49 (d, *J* = 7.5 Hz, 1H), 7.45–7.41 (m, 3H), 7.41–7.33 (m, 4H), 7.20–7.17 (m, 1H), 7.13 (d, *J* = 7.3 Hz, 2H), 3.85 (s, 3H), 2.47 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.3, 166.5, 159.9, 145.8, 133.3, 130.5, 130.2, 129.9, 129.7, 129.4, 129.0, 122.7, 121.5, 112.7, 55.4, 21.7. **HRMS:** Calc. for $C_{22}H_{20}NO_5S$ $[M+H]^+$: 410.1062, Obser.: 410.1069.



(1**kc**)

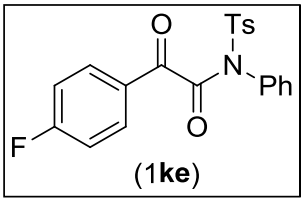
3.22 2-(3,4-dimethoxyphenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1kd): The title compound was obtained as a pale yellow sticky solid. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.54; Yield 80% (350 mg). **^{1}H NMR** (500 MHz, $CDCl_3$)



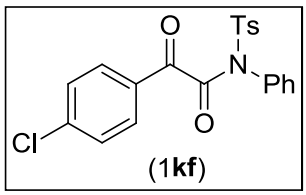
(1**kd**)

δ = 7.79 (d, *J* = 8.1 Hz, 2H), 7.51 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.42 (dd, *J* = 8.7, 6.1 Hz, 2H), 7.36 (dd, *J* = 14.2, 7.9 Hz, 4H), 7.13 (d, *J* = 7.3 Hz, 2H), 6.94 (d, *J* = 8.4 Hz, 1H), 3.96 (s, 3H), 3.91 (s, 3H), 2.47 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.3, 166.6, 154.7, 149.4, 145.8, 134.2, 133.6, 130.6, 130.1, 129.7, 129.4, 129.1, 125.8, 125.8, 110.4, 110.1, 56.2, 56.0, 21.7. **HRMS:** Calc. for $C_{23}H_{22}NO_6S$ $[M+H]^+$: 440.1168, Obser.: 440.1172.

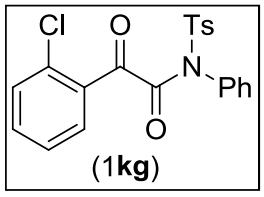
3.23 2-(4-fluorophenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1ke)[5]: The title compound was obtained as a pale yellow solid. M.p. 159–161 °C.The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.52; Yield 75% (297 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.98 (dd, *J* = 8.7, 5.3 Hz, 2H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.39 (ddd, *J* = 24.7, 16.3, 7.8 Hz, 5H), 7.23–7.17 (m, 2H), 7.13 (d, *J* = 7.4 Hz, 2H), 2.46 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.9, 167.5, 166.4(d, *J* =256 Hz), 166.4, 145.9, 133.8, 133.3(d, *J* =9.8 Hz), 132.2, 130.4, 130.2, 129.7, 129.4, 129.1(d, *J* =23.2 Hz), 128.9, 116.3, 116.2, 21.7.



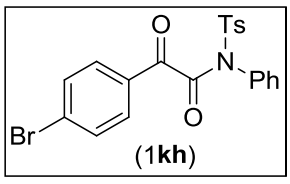
3.24 2-(4-chlorophenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1kf)[5]: The title compound was obtained as a pale yellow solid. M.p.157–159 °C. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.55; Yield 78% (322 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.89 (d, *J* = 8.5 Hz, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.51 (d, *J* = 8.4 Hz, 2H), 7.46-7.34 (m, 5H), 7.12 (d, *J* = 7.4 Hz, 2H), 2.47 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.3, 166.4, 146.0, 141.2, 133.8, 133.3, 131.1, 130.9, 130.4, 130.3, 129.5, 129.4, 129.0, 128.9, 21.8.



3.25 2-(2-chlorophenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide(1kg): The title compound was obtained as a pale yellow solid. M.p.158–151 °C. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.50; Yield 74% (306 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.08 (s, 1H), 7.76 (d, *J* = 7.2 Hz, 2H), 7.52 (dt, *J* = 23.6, 7.7 Hz, 2H), 7.46–7.31 (m, 6H), 7.14 (d, *J* = 5.7 Hz, 2H), 2.46 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.4, 166.1, 145.7, 135.1, 135.0, 134.0, 133.4, 132.4, 131.2, 131.0, 130.2, 130.1, 129.6, 129.4, 129.0, 127.1, 21.7. **HRMS:** Calc. for $C_{21}H_{18}NClO_4S$ $[M+H]^+$: 414.0567, Obser.: 414.0566.

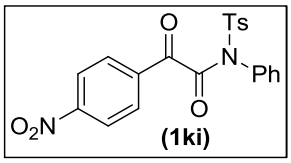


3.26 2-(4-bromophenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1kh)[5]: The title compound was obtained as pale yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.50; Yield 78% (356 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.81 (d, *J* = 8.4 Hz, 2H), 7.73–7.67 (m, 4H), 7.46–7.34 (m, 5H), 7.12 (d, *J* = 7.4

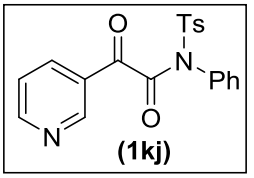


Hz, 2H), 2.47 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.5, 166.3, 146.0, 133.8, 133.3, 132.4, 131.5, 130.9, 130.4, 130.3, 130.1, 129.8, 129.5, 129.0, 21.8.

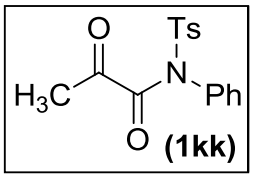
3.27 2-(4-nitrophenyl)-2-oxo-*N*-phenyl-*N*-tosylacetamide (1ki): The title compound was obtained as a colorless sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.30; Yield 76% (321 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.39 (d, J = 8.8 Hz, 2H), 8.15 (d, *J* = 8.7 Hz, 2H), 7.67 (d, *J* = 8.3 Hz, 2H), 7.49–7.44 (m, 1H), 7.42 (t, *J* = 7.5 Hz, 2H), 7.36 (d, *J* = 8.2 Hz, 2H), 7.12 (d, *J* = 7.3 Hz, 2H), 2.48 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ = 185.7, 166.0, 151.0, 146.3, 137.3, 133.4, 133.0, 130.6, 130.5, 130.3, 129.9, 129.6, 129.0, 124.1, 21.8. **HRMS:** Calc. for $C_{21}H_{17}N_2O_6S$ $[M+H]^+$: 425.0807, Obser.: 425.0805.



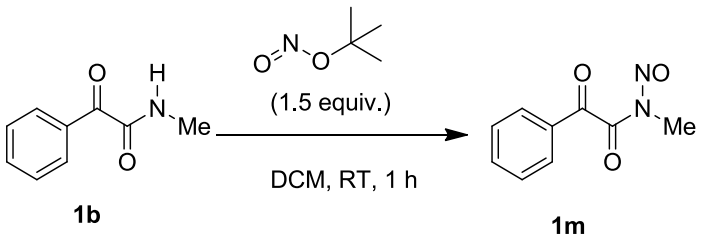
3.28 2-oxo-*N*-phenyl-2-(pyridin-4-yl)-*N*-tosylacetamide (1kj): The title compound was obtained as a white solid. M.p. 114–116 °C. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (70:30), R_f = 0.35; Yield 76% (288 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 9.15 (d, *J* = 1.5 Hz, 1H), 8.86 (dd, *J* = 4.8, 1.5 Hz, 1H), 8.25–8.24 (m, 1H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.51–7.44 (m, 2H), 7.40 (t, *J* = 7.6 Hz, 2H), 7.37–7.33 (m, 2H), 7.12 (d, *J* = 7.4 Hz, 2H), 2.48 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.3, 166.0, 154.5, 150.9, 146.2, 136.6, 133.6, 133.2, 130.3, 129.8, 129.6, 129.0, 128.5, 123.8, 21.8. **HRMS:** Calc. for $C_{20}H_{16}N_2NaO_4S$ $[M+Na]^+$: 403.0728, Obser.: 403.0728.



3.29 2-oxo-*N*-phenyl-*N*-tosylpropanamide (1kk): The title compound was obtained as colourless oil. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.65; Yield 85% (268 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.66 (d, *J* = 8.4 Hz, 2H), 7.47–7.38 (m, 3H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.07–7.05 (m, 2H), 2.52 (s, 3H), 2.45 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 194.6, 167.5, 145.9, 134.8, 133.4, 130.2, 130.1, 129.7, 129.4, 128.9, 26.8, 21.7. **HRMS:** Calc. for $C_{16}H_{16}NO_4S$ $[M+H]^+$: 318.0800, Obser.: 318.0798



v) Synthesis of *N*-methyl-*N*-nitroso-2-oxo-2-phenylacetamide (1m):

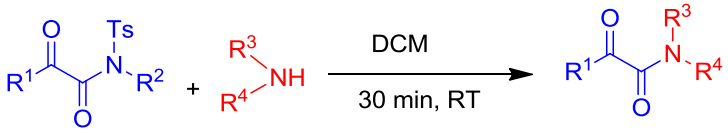


N-Methyl-2-oxo-2-phenylacetamide **1b** (163 mg, 1 mmol) was stirred in dichloromethane (3 mL) for approximately 2 min at room temperature to which tert-butylnitrite (0.176 mL, 1.5 mmol)

was added *via* a syringe and allowed to stir for 1 h. After completion, dichloromethane was evaporated and then subjected to silica gel (60−120 mesh) column chromatography purification (SiO_2: ethyl acetate/hexane) to obtain the title compound (**1m**) obtained as yellow oil as non-separable isomers(1.0:0.5). Column chromatography was performed with hexane: EtOAc (90:10), R_f = 0.75; Yield 60% (115 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.02 (dd, J = 8.3, 1.0 Hz, 2H), 7.92 (dd, J = 8.3, 1.0 Hz, 4H), 7.71–7.64 (m, 3H), 7.56–7.49 (m, 6H), 3.98 (s, 3H), 3.28 (s, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 188.5, 186.0, 172.4, 164.0, 135.3, 135.0, 132.5, 130.1, 129.5, 129.2, 128.9, 52.8, 25.5. This compound was found unstable during the mass spectrum analysis. Hence, HRMS could not be obtained.

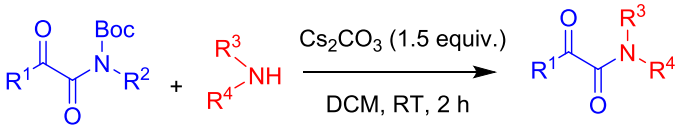
4.0 Procedures for the transamidation reactions

i) General procedure for the transamidation of *N*-tosyl amides with alkyl amines:



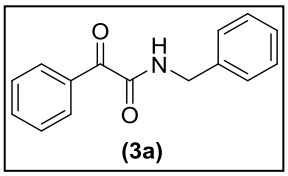
To a stirred solution of alkyl amine (1.1 mmol) in DCM (3 mL) was added *N*-tosyl α-ketoamide **1k, 1l, 1ka-1kk** (1 mmol) at room temperature. The resulting mixture was allowed to stir for 30 min. After completion, the reaction mixture was diluted with H_2O (10 mL) and extracted with EtOAc (3 × 30 mL). The combined organic phases were dried over anhydrous Na_2SO_4 and concentrated under vacuum. The residue was purified by column chromatography on silica gel (gradient eluent of EtOAc in hexane) to give the desired products **3a-3o** and **4a-4k.**

ii) General procedure for the transamidation reaction of *N*-Boc amides with alkyl amines:

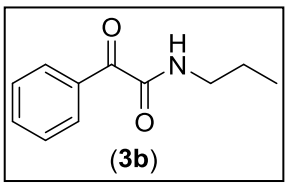


To a stirred solution of alkyl amine (1.5 mmol) and cesium carbonate (1.5 mmol, 489 mg) in DCM (3 mL) was added *N*-Boc *α*-ketoamide **1f-1j, 1ha-1hh** (1 mmol) at room temperature. The resulting mixture was allowed to stir for 2 hours. After completion, the reaction mixture was diluted with H_2O (10 mL) and extracted with EtOAc (3 × 30 mL). The combined organic phases were dried over anhydrous Na_2SO_4 and concentrated under vacuum. The residue was purified by column chromatography on silica gel (gradient eluent of EtOAc in hexane) to give the desired products **3a-3o** and **4a-4h.**

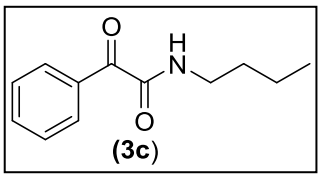
4.1 *N*-Benzyl-2-oxo-2-phenylacetamide (3a)[6a]: The title compound was obtained as yellow gum. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.39. Yield = 96% (229 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.38–8.36 (m, 2H), 7.65–7.62 (m, 1H), 7.51–7.47 (m, 2H), 7.41 (s, 1H), 7.37–7.29 (m, 5H), 4.58 (d, *J* = 6.1 Hz, 2H).**^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.5, 161.5, 137.1, 134.5, 133.3, 131.2, 128.9, 128.5, 127.9, 127.9, 43.5. The title compound **3a** was also obtained from from **1h** 31% (74 mg); from **1i** 84% (201 mg); from **1j** in 79% (189 mg);) from **1k** in 75% (179 mg); from **1l** in 80% (191 mg); from **1m** 94% (225 mg); and from **1n** 96% (229 mg).


(3a)

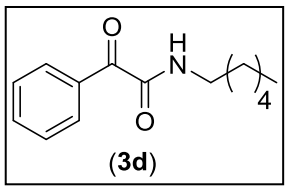
4.2 2-Oxo-2-phenyl-*N*-propylacetamide (3b)[6a]: The title compound was obtained as colourless oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.35. Yield = 95% (181 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.28–8.27 (m, 2H), 7.59–7.57 (m, 1H), 7.44–7.43 (t, *J* = 8.1 Hz, 2H), 7.23 (s, 1H), 3.34-3.39 (m, 2H), 1.63–1.55 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ= 188.0, 161.9, 134.2, 133.3, 131.0, 128.3, 41.0, 22.4, 11.2. The title compound **3b** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 93% (178 mg); from **1m** 90% (171 mg) from **1n** 91% (173 mg).


(3b)

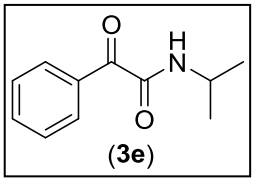
4.3*N*-Butyl-2-oxo-2-phenylacetamide (3c)[6a]: The title compound was obtained as yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.48. Yield = 92% (188 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.34–8.32 (m, 2H), 7.63–7.60 (m, 1H), 7.48–7.45 (m 2H), 7.11 (s, 1H), 3.41–3.37 (m, 2H), 1.60–1.56 (m, 2H), 1.42–1.38 (m, 2H), 0.95 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.9, 161.7, 134.3, 133.4, 131.2, 128.4, 39.1, 31.3, 20.0, 13.7. The title compound **3c** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 91% (187 mg).


(3c)

4.4 2-Oxo-2-phenyl-*N*-propylacetamide (3d)[6a]:The title compound was obtained as pale yellow viscous oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.25. Yield = 92% (214 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.34–8.33 (m, 2H), 7.65–7.59 (m, 1H), 7.51–7.44 (m, 2H), 7.10 (s, 1H), 3.39 (dd, *J* = 13.4, 7.1 Hz, 2H), 1.60 (dd, *J* = 14.7, 7.5 Hz, 2H), 1.43–1.26 (m, 7H), 0.91-0.88 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.9, 161.7, 134.3, 133.4, 131.2, 128.4, 39.5, 31.4, 29.2, 26.5, 22.5, 14.0. The title compound **3d** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 89% (220 mg).

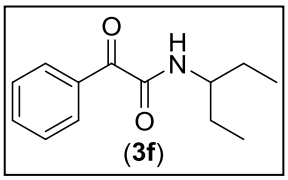

(3d)

4.5 *N*-Isopropyl-2-oxo-2-phenylacetamide (3e)[7]: The title compound was obtained as a off white solid. M.p. 77–78°C. The residue was purified by column

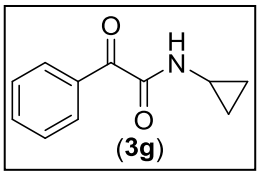

(3e)

chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.42. Yield = 94% (179 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.34–8.32 (m, 2H), 7.63–7.60 (m, 1H), 7.49–7.46 (m, 2H), 6.92 (s, 1H), 4.18–4.12 (m, 1H), 1.26 (d, J = 6.6 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 188.0, 160.9, 134.3, 133.4, 131.2, 128.4, 41.7, 22.4. The title compound **3e** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 85% (162 mg).

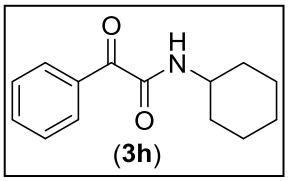
4.6 2-Oxo-*N*-(pentan-3-yl)-2-phenylacetamide (3f): The title compound was obtained as sticky liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.35. Yield = 89%(194 mg). IR: 3000, 2953, 1708, 1672, 1480, 1235**^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.34-8.32 (m, 2H), 7.62-7.59 m, 1H), 7.49-7.45 (m, 2H), 6.83 (s, 1H), 3.89–3.82 (m, 1H), 1.69–1.61 (m, 2H), 1.53-1.44 (m, 2H), 0.94 (t, J = 7.5 Hz, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 188.10, 161.6, 134.3, 133.4, 131.2, 128.4, 52.5, 27.3, 10.2. **HRMS:** Calc. for $C_{13}H_{18}NO_2$ $[M+Na]^+$: 220.1338, Obser.: 220.1344. The title compound **3f** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 83% (181 mg); from **1m** 83% (181 mg) from **1n** 81% (177 mg).


(**3f**)

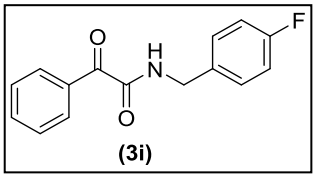
4.7 *N*-Cyclopropyl-2-oxo-2-phenylacetamide (3g)[8]: The title compound was obtained as colorless oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.32. Yield = 94% (177 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.32–8.31 (m, 2H), 7.62-7.58 (m, 1H), 7.47-7.44 (m, 2H), 7.22 (s, 1H), 2.87–2.83 (m, 1H), 0.89–0.85 (m, 2H), 0.66–0.62 (m, 2H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ = 187.5, 163.1, 134.4, 133.2, 131.2, 128.4, 22.5, 6.4. The title compound **3g** was also obtained from *N*-Bo c*N*-phenyl α-ketoamide **1g** in 86% (163 mg).


(**3g**)

4.8 *N*-Cyclohexyl-2-oxo-2-phenylacetamide (3h)[1]: The title compound was obtained as colourless gum. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.20. Yield = 91% (210 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.34–8.32 (m, 2H), 7.63–7.60 (m, 1H), 7.49–7.46 (dd, J = 11.1, 4.6 Hz, 2H), 6.95 (s, 1H), 3.89–3.83 (m, 1H), 2.0–1.97 (m, 2H), 1.78–1.75 (m, 2H), 1.65–1.64 (m, 1H), 1.44–1.38 (m, 2H), 1.32–1.21 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 188.1, 160.8, 134.3, 133.5, 131.2, 128.4, 48.5, 32.7, 25.4, 24.7. The title compound **3h** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 87% (201 mg).

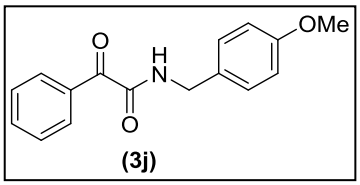

(**3h**)

4.9 N-(4-fluorobenzyl)-2-oxo-2-phenylacetamide(3i)[6a]: The title compound was obtained as whie solid. M.p. 84-86 °C The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.39. Yield = 93% (238 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.3 –


(**3i**)

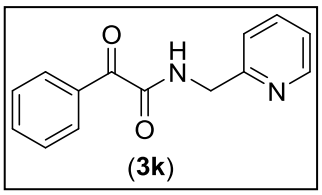
8.28 (m, 2H), 7.6–7.60 (m, 1H), 7.53–7.43 (m, 3H), 7.33–7.27 (m, 2H), 7.02 (td, *J* = 8.7, 2.8 Hz, 2H), 4.53 (d, *J* = 6.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.4, 163.2, 161.5, 161.3, 134.4, 133.2, 132.9, 131.1, 129.6, 129.5, 128.4, 115.7, 115.5, 42.7. The title compound **3i** was also obtained from **1g** 91% (233 mg).

4.10 N-(4-methoxybenzyl)-2-oxo-2-phenylacetamide(3j)[6c]: The title compound was obtained as yellow solid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:10), R_f = 0.39. Yield = 95% (255 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.36 (dd, *J* = 8.3, 1.2 Hz, 2H), 7.67–7.61 (m, 1H), 7.50 (dd, *J* = 11.0, 4.7 Hz, 2H), 7.43 (s, 1H), 7.28 (d, *J* = 7.9 Hz, 2H), 6.95–6.85 (m, 2H), 4.52 (d, *J* = 6.0 Hz, 2H), 3.81 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.6, 161.5, 159.1, 134.3, 133.2, 131.1, 129.2, 129.1, 128.4, 114.1, 55.2, 42.9. The title compound **3j** was also obtained **1g** 94% (252 mg).



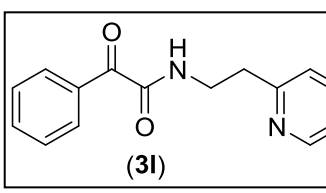
(3j)

4.11 2-Oxo-2-phenyl-*N*-(pyridin-2-ylmethyl)acetamide (3k)[9]: The title compound was obtained as orange viscous liquid. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (90:20), R_f = 0.21. Yield = 95% (227 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.60 (d, *J* = 4.4 Hz, 1H), 8.36 (d, *J* = 7.6 Hz, 2H), 8.21 (s, 1H), 7.72–7.62 (m, 2H), 7.51 (t, *J* = 7.8 Hz, 2H), 7.32 (s, 1H), 7.24 (dd, *J* = 7.1, 5.3 Hz, 1H), 4.72 (d, *J* = 5.4 Hz, 2H**). ^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.6, 162.0, 155.5, 149.3, 136.9, 134.3, 133.3, 131.1, 128.5, 122.6, 122.0, 44.3. The title compound **3k** was also obtained from *N*-Boc*N*-phenyl α-ketoamide **1g** in 90% (216 mg).



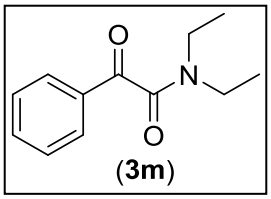
(3k)

4.12 2-Oxo-2-phenyl-*N*-(2-(pyridin-2-yl)ethyl)acetamide (3l): The title compound was obtained as a white solid. M.p. 46–48 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (95:20), R_f = 0.15; Yield = 93% (236 mg). IR: 2966, 2871, 1710, 1677, 1544, 1287. **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.56 (d, *J* = 4.7 Hz, 1H), 8.30–8.28 (m, 2H), 7.92 (s, 1H), 7.64–7.58 (m, 2H), 7.47–7.44 (m, 2H), 7.19–7.15 (m, 2H), 3.84–3.81 (m, 2H), 3.09 (t, *J* = 6.4 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.9, 162.0, 158.9, 149.3, 136.7, 134.2, 133.4, 131.1, 128.4, 123.4, 121.7, 38.5, 36.6. **HRMS:** Calc. for $C_{15}H_{15}N_2O_2$ $[M+Na]^+$: 255.1134, Obser.: 255.1147. The title compound **3l** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 89% (226 mg); from **1m** 90% (227 mg) from **1n** 91% (229 mg).



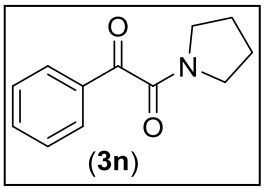
(3l)

4.13 *N,N*-Diethyl-2-oxo-2-phenylacetamide (3m)[6a]: The title compound was obtained as a colorless oil. The residue was purified by column chromategraphy in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.25**.** Yield = 85% (174 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.91 (d, *J* = 8.4 Hz, 2H), 7.61 (t, *J* = 7.4



(3m)

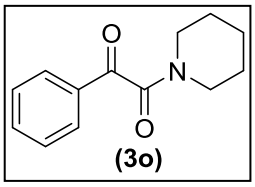
Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 2H), 3.54 (q, *J* = 7.2 Hz, 2H), 3.22 (q, *J* = 7.1 Hz, 2H), 1.26 (t, *J* = 7.2 Hz, 3H), 1.13 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 191.5, 166.6, 134.4, 133.1, 129.5, 128.8, 77.2, 77.0, 76.7, 42.0, 38.7, 14.0, 12.7.The title compound **3m** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 73% (150 mg); from **1m** 82% (170 mg) from **1n** 88% (180 mg).



(**3n**)

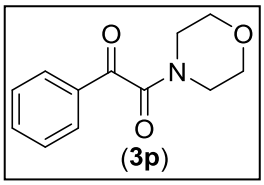
4.14 2-Phenyl-1-(pyrrolidin-1-yl)ethan-1-one (3n)[7]: The title compound was obtained as yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.26. Yield = 88%(168 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.02–7.98 (m, 2H), 7.65–7.62 (m, 1H), 7.52-7.49 (m, 2H), 3.66 (t, *J* = 6.8 Hz, 2H), 3.43 (t, *J* = 6.4 Hz, 2H), 1.95–1.94 (m, 4H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 191.6, 164.9, 134.6, 132.9, 129.9, 128.9, 46.7, 45.2, 25.9, 24.0. The title compound **3n** was also obtained from *N N*-Boc *N*-phenyl α-ketoamide **1g** in 89% (181 mg).

4.15 1-Phenyl-2-(piperidin-1-yl)ethane-1,2-dione (3o)[6a]: The title compound was obtained as a white solid. M.p. 94–95 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.20. Yield = 89% (193 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ= 7.98 – 7.92 (m, 2H), 7.67 – 7.61 (m, 1H), 7.51 (t, *J* = 7.7 Hz, 2H), 3.70 (s, 2H), 3.30–3.27 (m, 2H), 1.71–1.68 (m, 4H), 1.55 (d, *J* = 5.0 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ= 191.9, 165.4, 134.6, 133.2, 129.6, 129.0, 47.0, 42.1, 26.2, 25.4, 24.4. The title compound **3o** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 88% (191 mg).



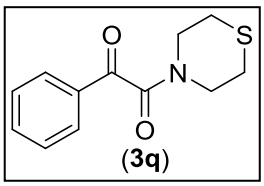
(**3o**)

4.16 1-Morpholino-2-phenylethane-1,2-dione (3p)[6a]: The title compound was obtained as yellow oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.21. Yield = 94% (205 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ= 7.97–7.95 (m, 2H), 7.67–7.64 (m, 1H), 7.54–7.51 (t, *J* = 7.8 Hz, 2H), 3.82–3.77 (m, 4H), 3.66–3.64 (m, 2H), 3.39–3.37 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 191.1, 165.4, 134.9, 133.0, 129.7, 129.1, 66.7, 66.7, 46.3, 41.6. The title compound **3p** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 85% (186 mg); from **1m** 85% (186 mg) from **1n** 89% (196 mg).



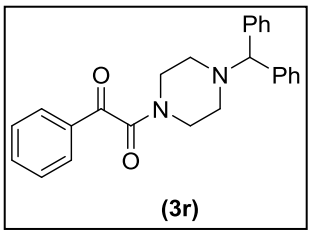
(**3p**)

4.17 1-Morpholino-2-phenylethane-1,2-dione (3q)[6b]: The title compound was obtained as yellow solid. M.p. 82-84 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.45. Yield = 95% (223 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.92 (d, *J* = 8.1 Hz, 2H), 7.64 (t, *J* = 7.4 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 2H), 4.04–3.98 (m, 2H), 3.62–3.57 (m, 2H), 2.76–2.71 (m, 2H), 2.63–2.58 (m, 2H).**^{13}C NMR** (125 MHz, $CDCl_3$) δ = 191.2, 165.6, 134.8, 132.9, 129.5, 129.0, 48.6, 43.6, 27.7, 27.2. The title compound **3q** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 85% (200 mg).



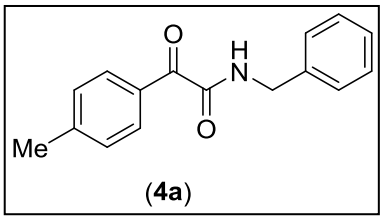
(**3q**)

4.18 1-(4-Benzhydrylpiperazin-1-yl)-2-phenylethane-1,2-dione (3r)[10]: The title compound was obtained as brown viscous oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.10. Yield = 89% (341 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.96–7.91 (m, 2H), 7.62 (d, J = 7.4 Hz, 1H), 7.50 (t, J = 7.7 Hz, 2H), 7.41-7.39 (d, J = 7.3 Hz, 4H), 7.28 (d, J = 7.4 Hz, 3H), 7.21-7.17 (m, 2H), 4.28 (s, 1H), 3.80–3.78 (m, 2H), 3.38–3.36 (m, 2H), 2.54–2.52 (m, 2H), 2.37–2.36 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 191.5, 165.3, 141.8, 134.7, 133.1, 129.6, 129.0, 128.7, 127.8, 127.3, 75.8, 51.9, 51.4, 46.1, 41.4. The title compound **3r** was also obtained from *N*-Boc *N*-phenyl α-ketoamide **1g** in 84% (323 mg).



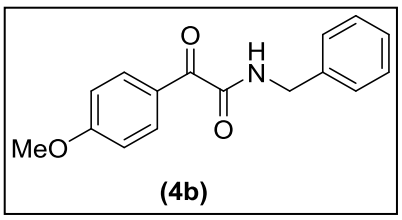
(3r)

4.16 *N*-benzyl-2-oxo-2-(*p*-tolyl)acetamide (4a)[8]:The title compound was obtained as a white solid. M.p. 81.1–81.5 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.62. Yield = 92% (232 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.29 (d, J = 8.2 Hz, 2H), 7.44 (s, 1H), 7.36-7.30 (m, 5H), 7.28 (d, J = 8.0 Hz, 2H), 4.57 (d, J = 6.1 Hz, 2H), 2.43 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.0, 161.8, 145.7, 137.2, 131.4, 130.8, 129.2, 128.8, 127.9, 127.8, 43.4, 21.9. The title compound **4a** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1ja** in 89% (225 mg).



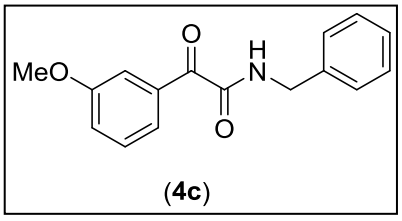
(**4a**)

4.17 *N*-benzyl-2-(4-methoxyphenyl)-2-oxoacetamide (4b)[8]: The title compound was obtained as a white solid. M.p. 95.3–95.7 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.65. Yield = 94% (22 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.44–8.42 (m, 2H), 7.51 (s, 1H), 7.37–7.29 (m, 5H), 6.96–6.93 (m, 2H), 4.56 (d, J = 6.1 Hz, 2H), 3.88 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.5, 164.7, 162.1, 137.2, 133.9, 128.8, 127.8, 127.7, 126.3, 113.8, 55.5, 43.4. The title compound **4b** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1jb**in 90% (242 mg).



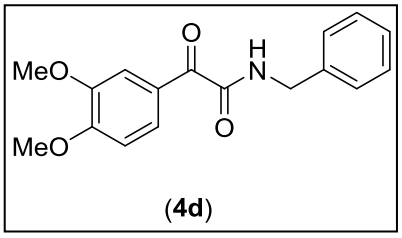
(4b)

4.18 *N*-benzyl-2-(3-methoxyphenyl)-2-oxoacetamide (4c)[8]: The title compound was obtained as colourless oil. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.55. Yield = 91% (235 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.00 (d, J = 7.6 Hz, 1H), 7.84 (d, J = 1.3 Hz, 1H), 7.47 (s, 1H), 7.40–7.30 (m, 5H), 7.19–7.16 (m, 1H), 4.56 (d, J = 6.1 Hz, 2H), 3.85 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 187.3, 161.6, 159.5, 137.1, 134.4, 129.5, 128.9, 127.8, 127.7, 124.1, 121.4, 114.6, 55.4, 43.4. The title compound **4c** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1jc** in 87% (234 mg).



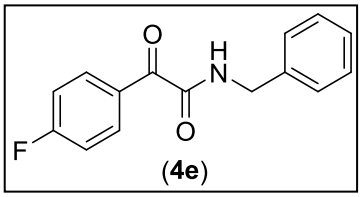
(**4c**)

4.19 *N*-benzyl-2-(3,4-dimethoxyphenyl)-2-oxoacetamide (4d)[11]: The title compound was obtained as a white solid. M.p. 119–121 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.59. Yield = 92% (275 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.26 (d, J = 3.6 Hz, 1H), 7.88 (dd, J = 4.5, 2.3 Hz, 1H), 7.51 (s, 1H), 7.33–7.28 (m, 5H), 6.92 (dd, J = 9.6, 6.5 Hz, 1H), 4.55 (s, 2H), 3.95 (s, 3H), 3.92 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.3, 162.1, 154.7, 148.8, 137.2, 128.8, 127.8, 127.7, 127.4, 126.4, 112.6, 110.2, 56.1, 55.9, 43.4. The title compound **4d** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1jd** in 87% (260 mg).



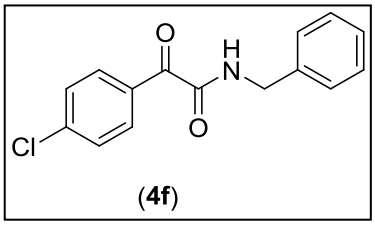
(**4d**)

4.20 *N*-benzyl-2-(4-fluorophenyl)-2-oxoacetamide (4e)[8]: The title compound was obtained as a white solid. M.p. 66–67 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.60. Yield = 93% (239 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.48–8.46 (m, 2H), 7.47 (s, 1H), 7.38–7.30 (m, 5H), 7.17–7.13 (dd, J = 12.8, 4.5 Hz, 2H), 4.56 (d, J = 6.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.6, 166.6 (d, J = 256.25 Hz), 161.3, 137.0, 134.3 (d, J = 10.0 Hz), 129.8 (d, J = 2.5 Hz), 128.8, 127.8, 115.8, 115.6, 43.5. The title compound **4e** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1je** in 82% (211mg).



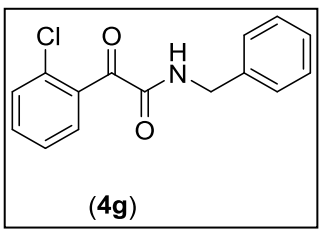
(**4e**)

4.21 *N*-benzyl-2-(4-chlorophenyl)-2-oxoacetamide (4f)[8]: The title compound was obtained as a white solid. M.p. 111.9–112.3 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.63. Yield = 94% (256 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.36 (d, J = 8.6 Hz, 2H), 7.47–7.45 (m, 2H), 7.38–7.31 (m, 5H), 4.57 (d, J = 6.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.1, 161.1, 141.3, 136.9, 132.7, 131.7, 129.0, 128.9, 127.90, 127.9, 43.5. The title compound **4f** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1jf** in 83% (227 mg).



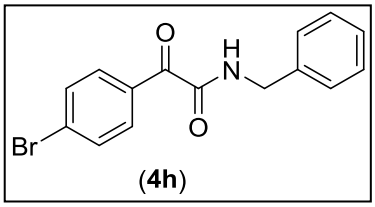
(**4f**)

4.22 *N*-benzyl-2-(2-chlorophenyl)-2-oxoacetamide (4g)[12]: The title compound was obtained as a white solid. M.p. 91–92 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.63. Yield = 81% (221 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.71–7.69 (m, 2H), 7.50–7.44 (m, 4H), 7.40–7.32 (m, 2H), 7.29 (s, 1H), 4.58 (d, J = 6.1 Hz, 4H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 190.0, 160.6, 136.9, 134.0, 133.1, 131.3, 130.4, 128.9, 128.0, 127.9, 126.6, 43.7. The title compound **4g** was also obtained from *N*-Boc *N*-methyl α-ketoamide **1jg** in 72% (197 mg).



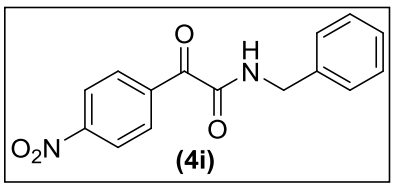
(**4g**)

4.23 *N*-benzyl-2-(4-bromophenyl)-2-oxoacetamide (4h)[8]: The title compound was obtained as a white solid. M.p.113–114 °C. The residue was purified by column chromatography in silica gel eluting with hexane/EtOAc (80:20), R_f = 0.58. Yield = 95% (301 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.27 (d, J = 8.6 Hz, 2H), 7.63 (d, J = 8.6 Hz, 2H), 7.43 (s, 1H), 7.37–7.32 (m, 5H), 4.56 (d, J = 6.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.3, 161.1, 136.9, 132.8, 132.1, 131.98, 130.2, 128.9, 127.9, 129.9, 43.5. The title compound **4h** was also obtained from *N*-Boc *N*-methyl *α*-ketoamide **1jh** in 85% (270 mg).



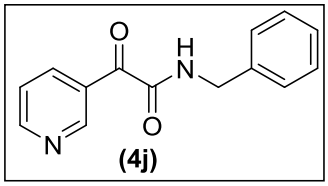
(4h)

4.24 *N*-benzyl-2-(4-nitrophenyl)-2-oxoacetamide(4i):The title compound was obtained as a pale yellow solid. M.p. 91–92 °C. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.38. Yield 86% (244 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 8.55 (d, J = 8.8 Hz, 2H), 8.31 (d, J = 8.8 Hz, 2H), 7.47 (s, 1H), 7.39–7.35 (m, 5H), 4.59 (d, J = 6.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 185.9, 160.3, 150.8, 137.9, 136.6, 132.4 , 128.9, 128.1, 127.9, 123.5, 43.7. **HRMS:** Calc. for $C_{15}H_{13}N_2O_4$ $[M+H]^+$: 285.0875, Obser.: 285.0875.



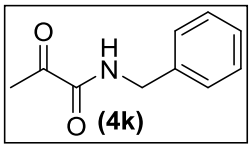
(4i)

4.25 *N*-benzyl-2-oxo-2-(pyridin-3-yl)acetamide(4j): The title compound was obtained as a white solid. M.p. 120 °C. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.25. Yield = 91% (218 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 9.53 (d, J = 1.6 Hz, 1H), 8.82 (dd, J = 4.8, 1.5 Hz, 1H), 8.68 (dt, J = 8.0, 1.8 Hz, 1H), 7.54 (s, 1H), 7.44–7.42(m, 1H), 7.38–7.30 (m, 5H), 4.57 (d, J = 6.1 Hz, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 186.4, 160.5, 154.3, 152.2, 138.6, 136.8, 129.1, 128.9, 128.0, 127.9, 123.3, 43.6. **HRMS:** Calc. for $C_{14}H_{12}N_2NaO_2$ $[M+Na]^+$: 263.0796, Obser.: 263.0792.



(4j)

4.26 *N*-benzyl-2-oxopropanamide (4k)[13]: The title compound was obtained as a colourless liquid. The residue was purified by column chromatography in silica gel eluting with hexane: EtOAc (80:20), R_f = 0.30. Yield = 95% (168 mg). **^{1}H NMR** (500 MHz, $CDCl_3$) δ = 7.36–7.28 (m, 5H), 7.27 (s, 1H), 4.47 (d, J = 6.1 Hz, 2H), 2.50 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ = 197.0, 159.9, 136.9, 128.8, 127.9, 43.5, 24.5. **HRMS:** Calc. for $C_{10}H_{12}NO_2$ $[M+H]^+$: 178.0868, Obser.: 178.0861.



(4k)

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6. ^{1}H and ^{13}C NMR Spectra of the α-ketoamides

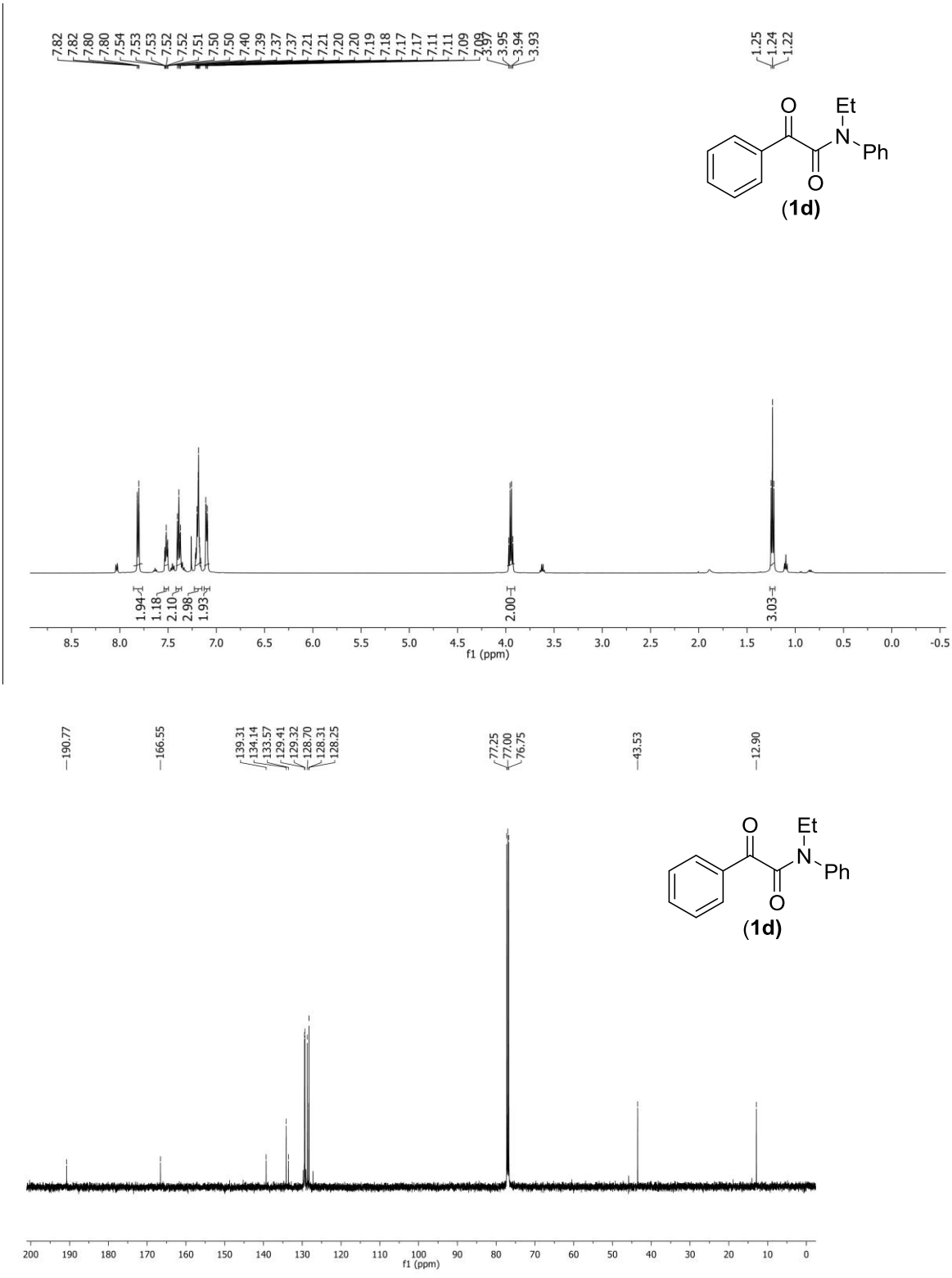


Figure 6.1 ^{1}H and ^{13}C of product 1d in $CDCl_3$.

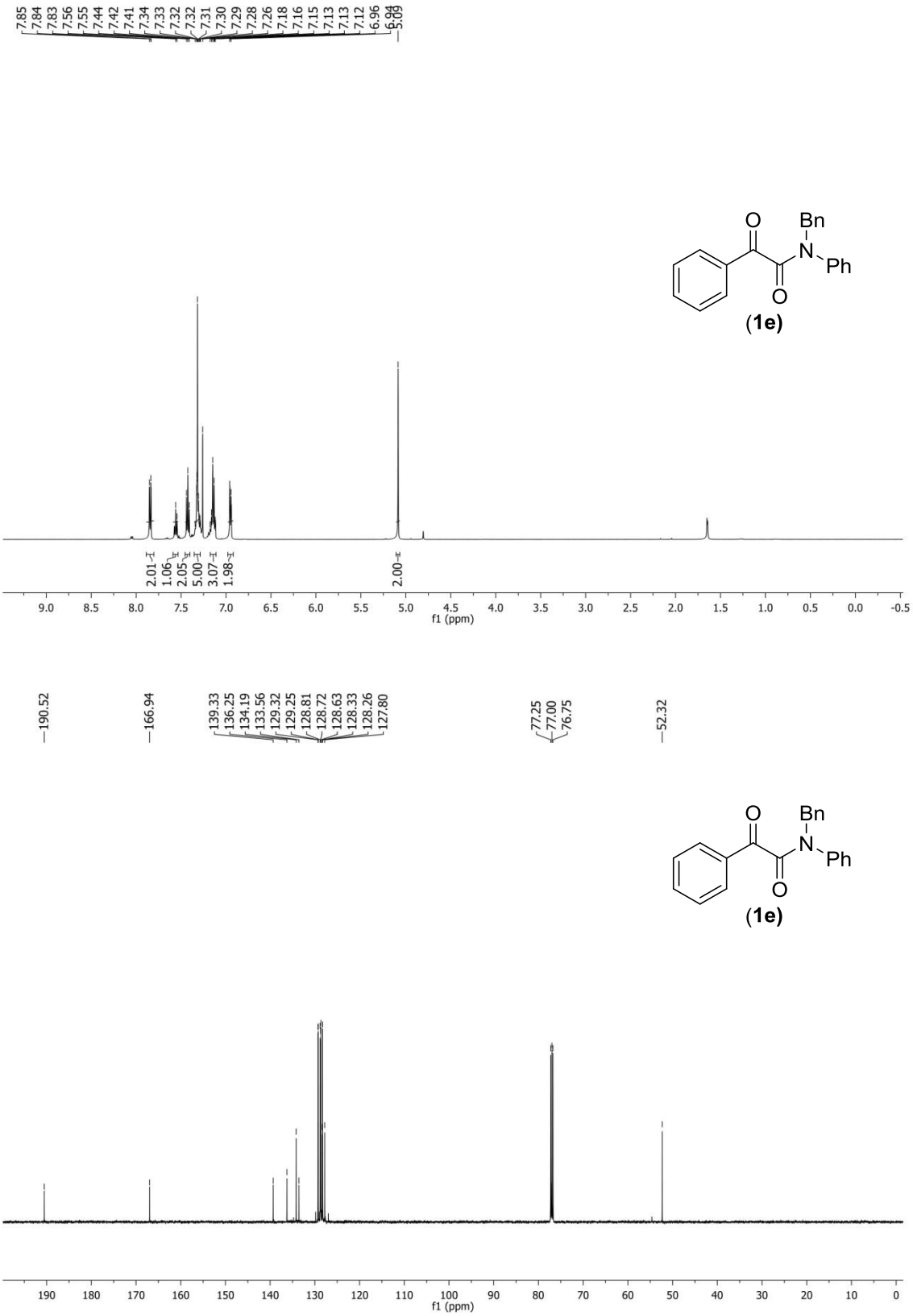


Figure 6.2 ^{1}H and ^{13}C of product 1e in $CDCl_3$.

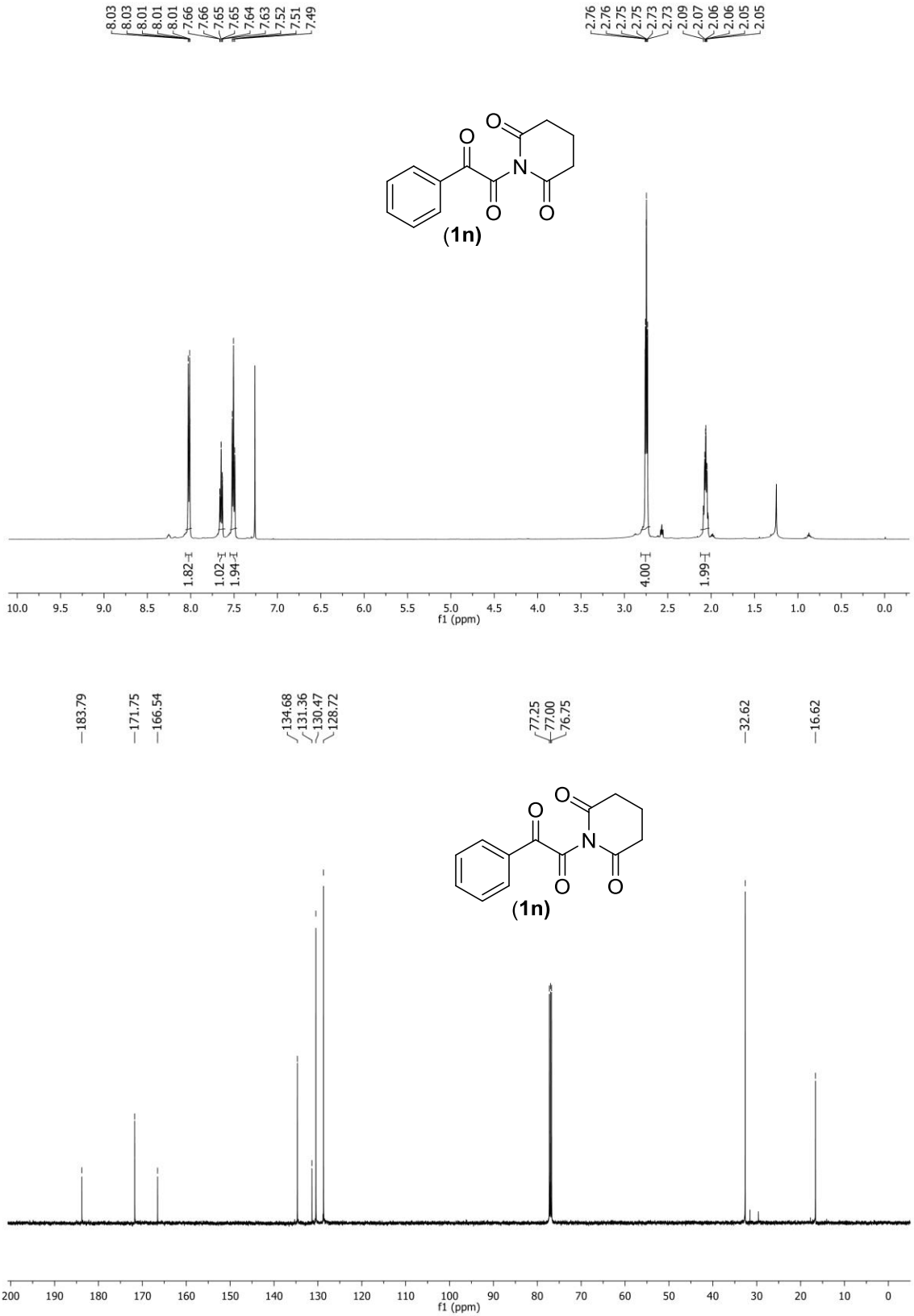


Figure 6.3 ^{1}H and ^{13}C of product 1n in $CDCl_3$.

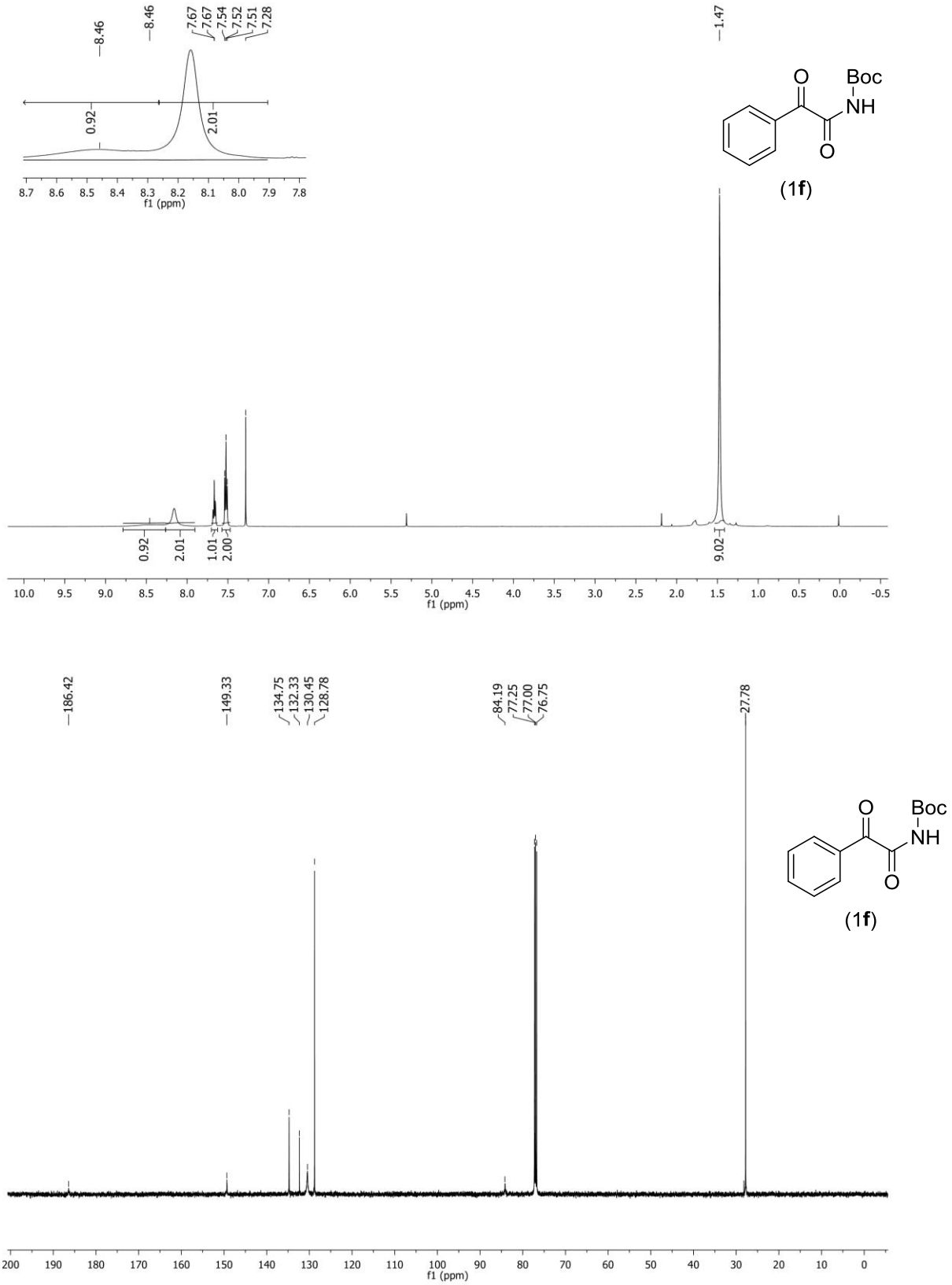


Figure 6.4 ^{1}H and ^{13}C of product 1h in $CDCl_3$.

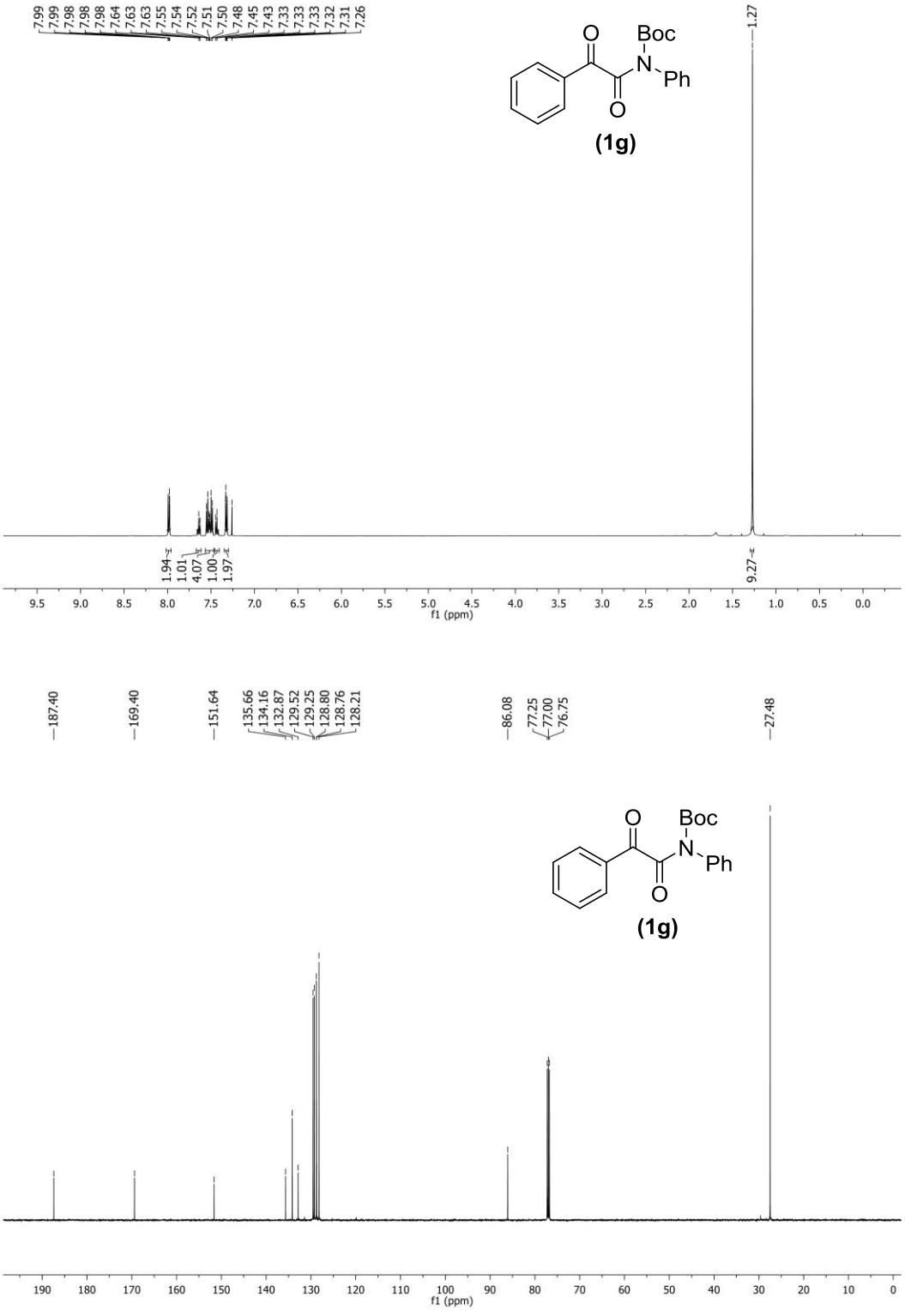


Figure 6.5 ^{1}H and ^{13}C NMR of product 1i in $CDCl_3$.

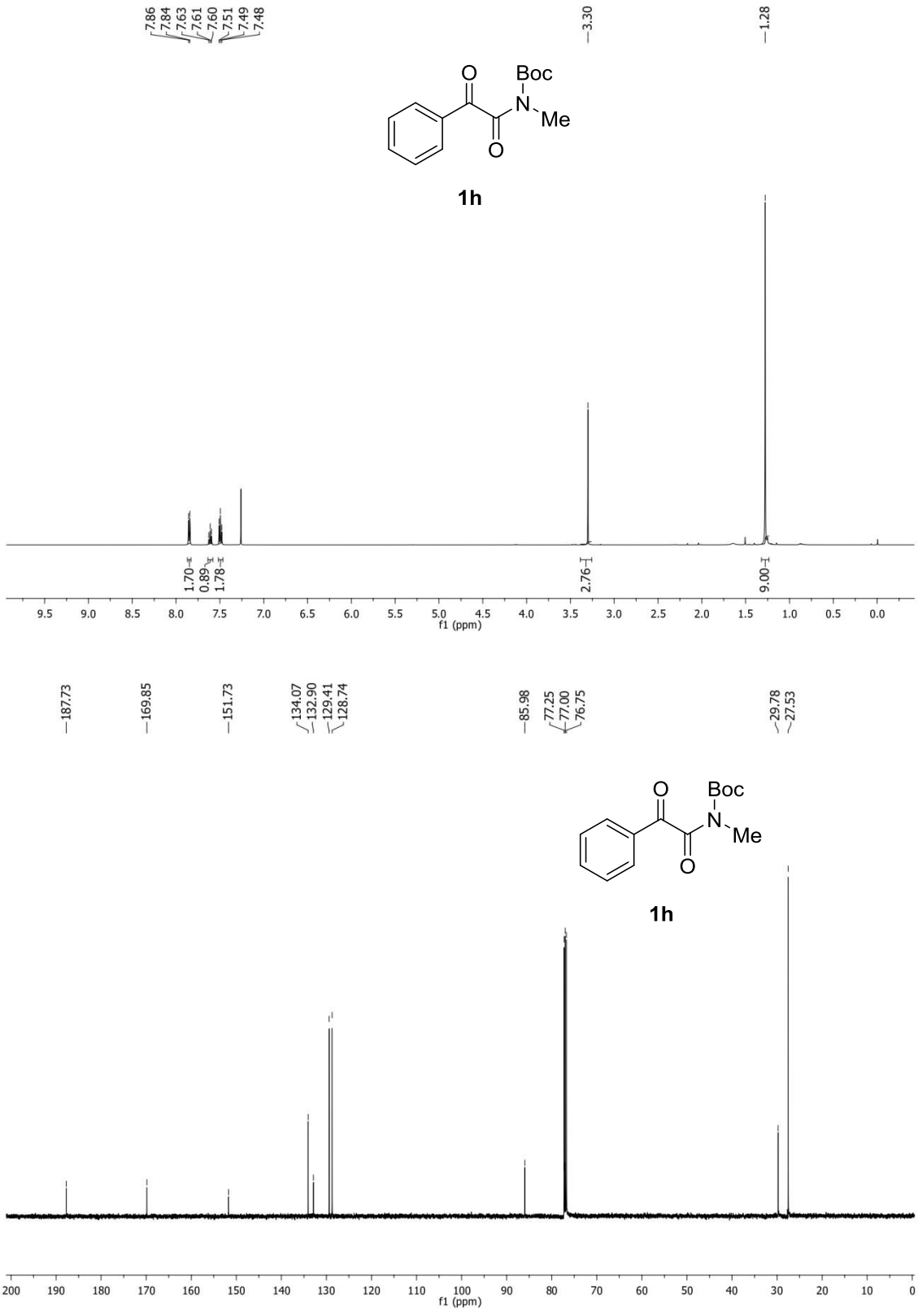


Figure 6.6 ^{1}H and ^{13}C NMR of product 1h in $CDCl_3$.

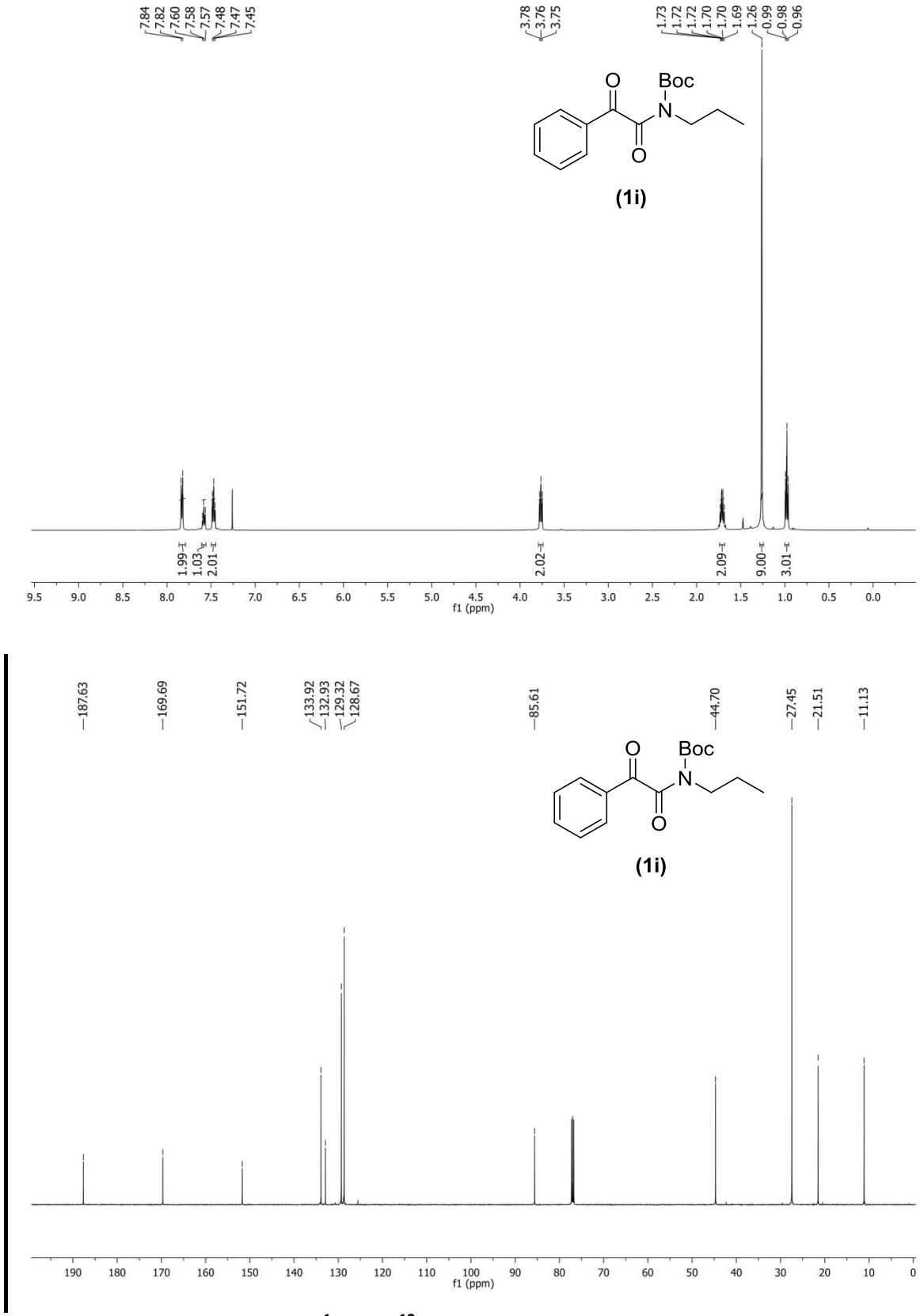


Figure 6.7 ^{1}H and ^{13}C NMR of product 1i in $CDCl_3$.

Figure 6.8 ^{1}H and ^{13}C NMR of product 1j in $CDCl_3$.

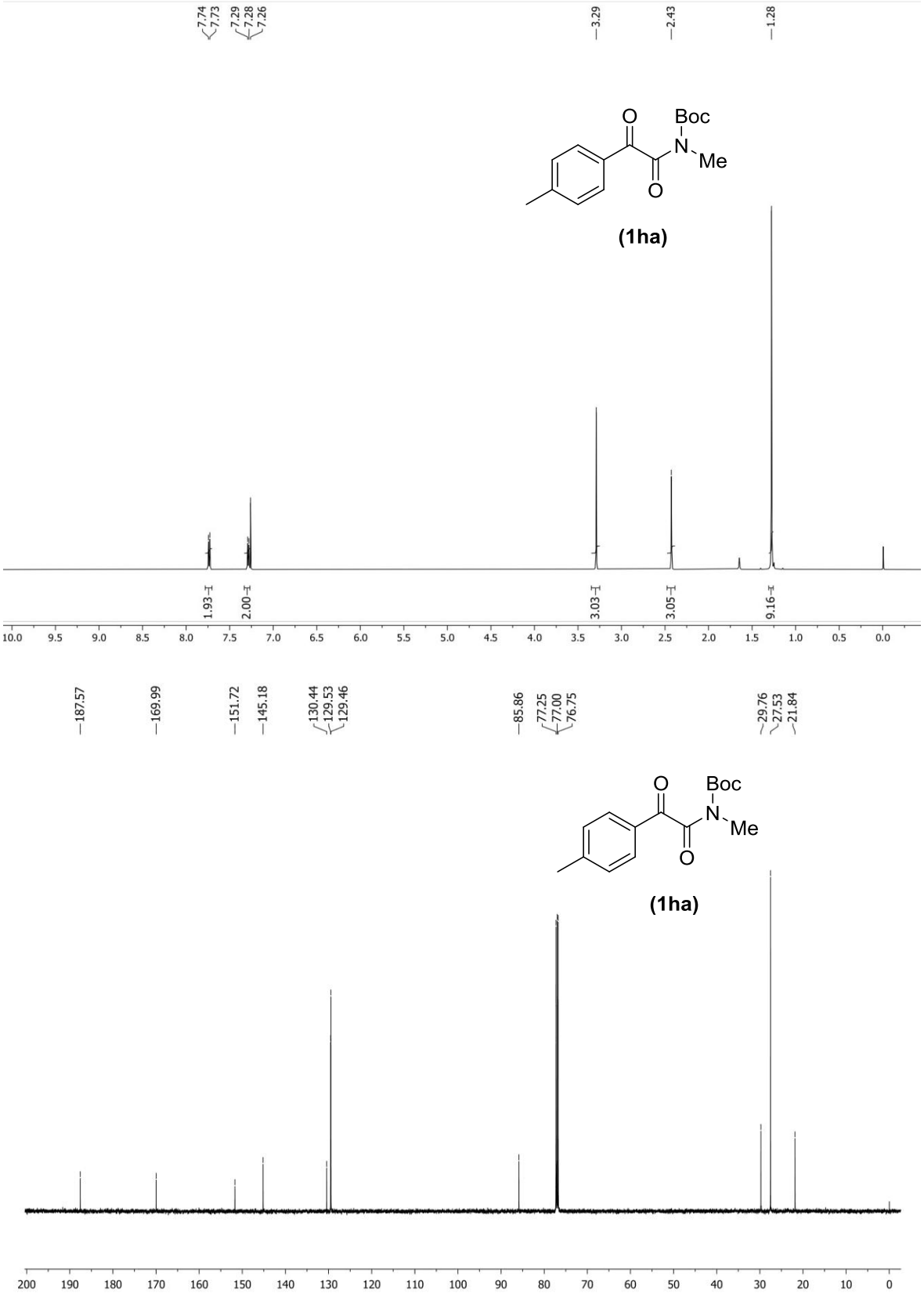


Figure 6.9 ^{1}H and ^{13}C NMR of product 1ha in $CDCl_3$.

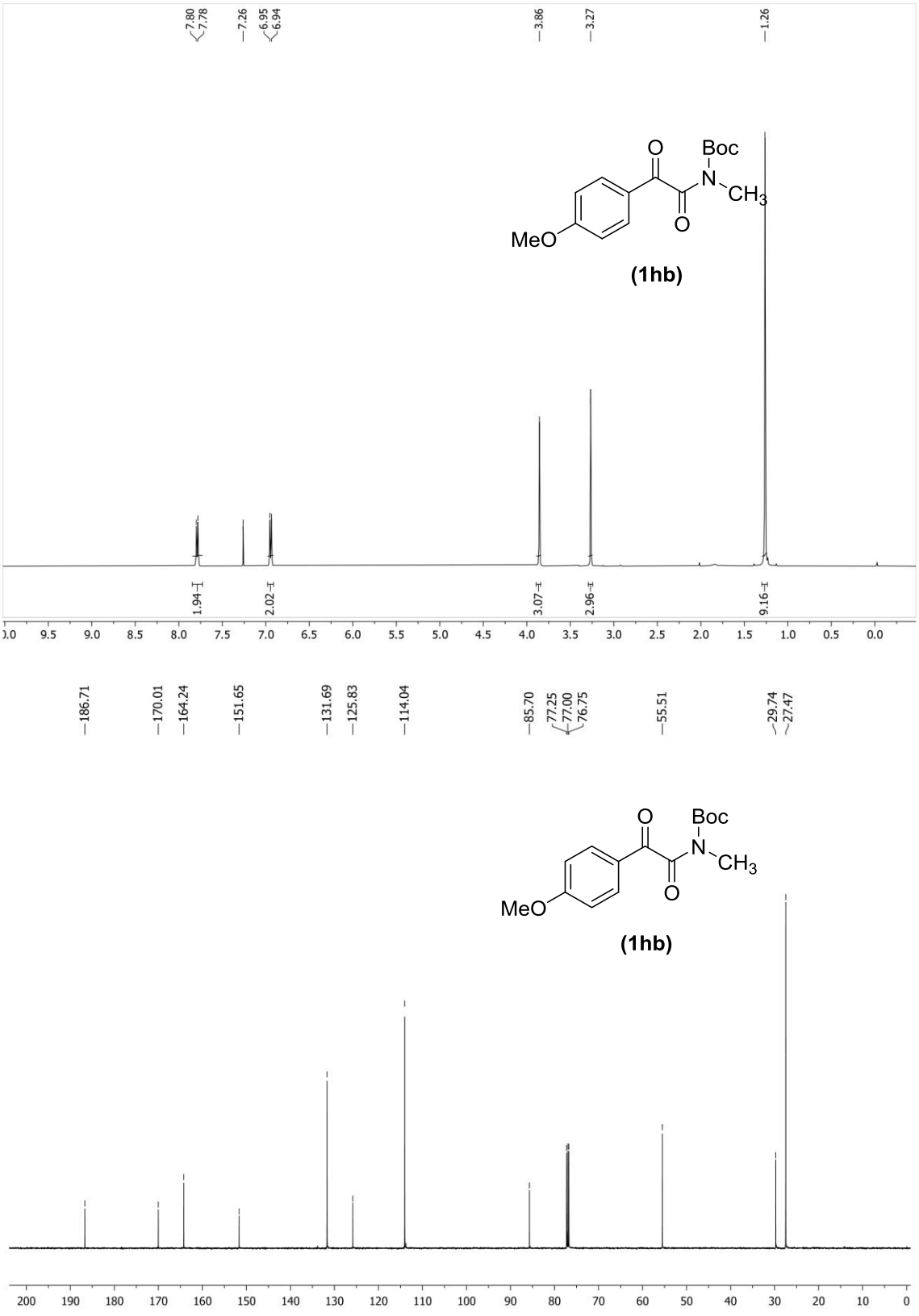


Figure 6.10 ^{1}H and ^{13}C NMR of product 1hb in $CDCl_3$.

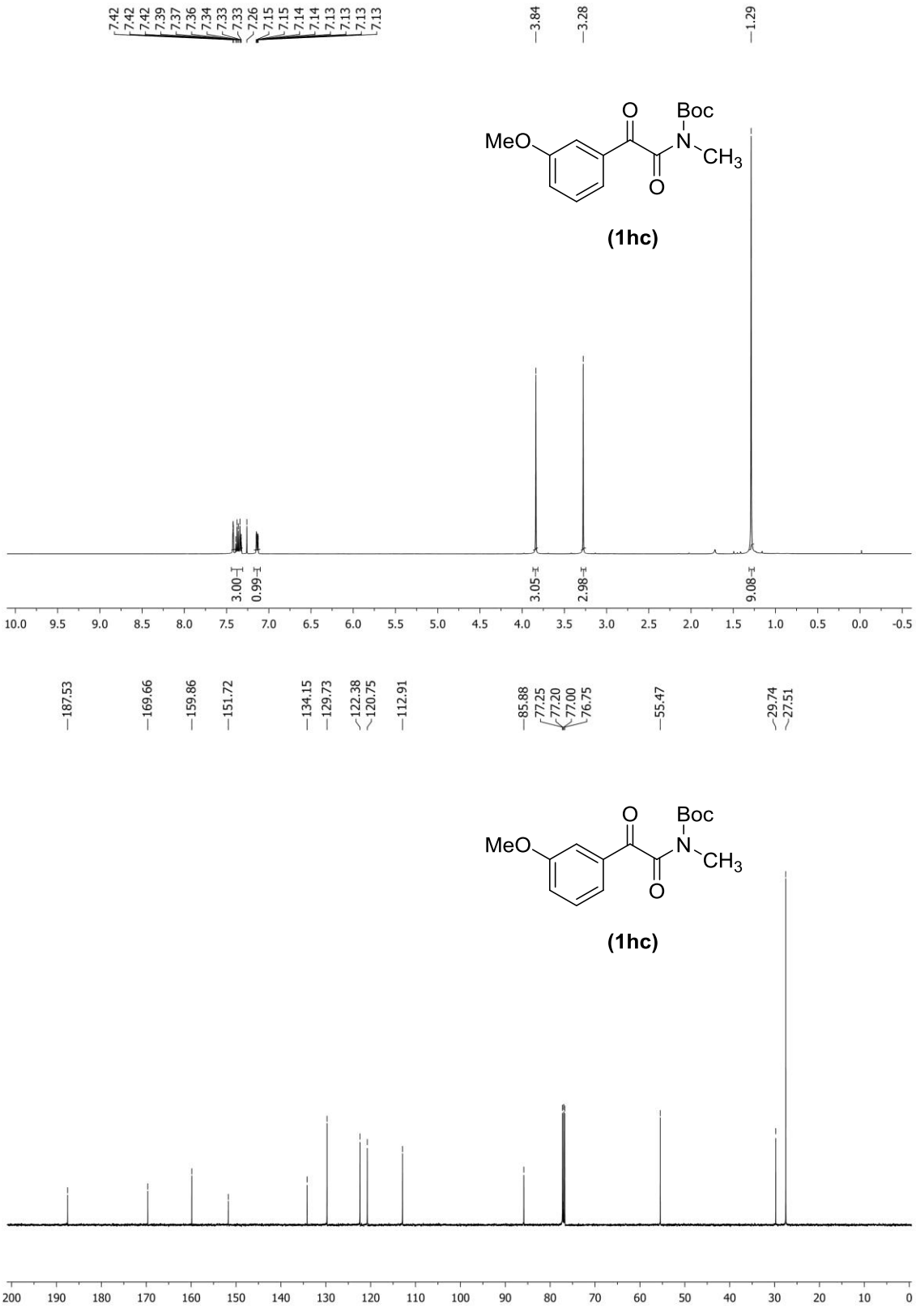


Figure 6.11 ^{1}H and ^{13}C NMR of product 1hc in $CDCl_3$.

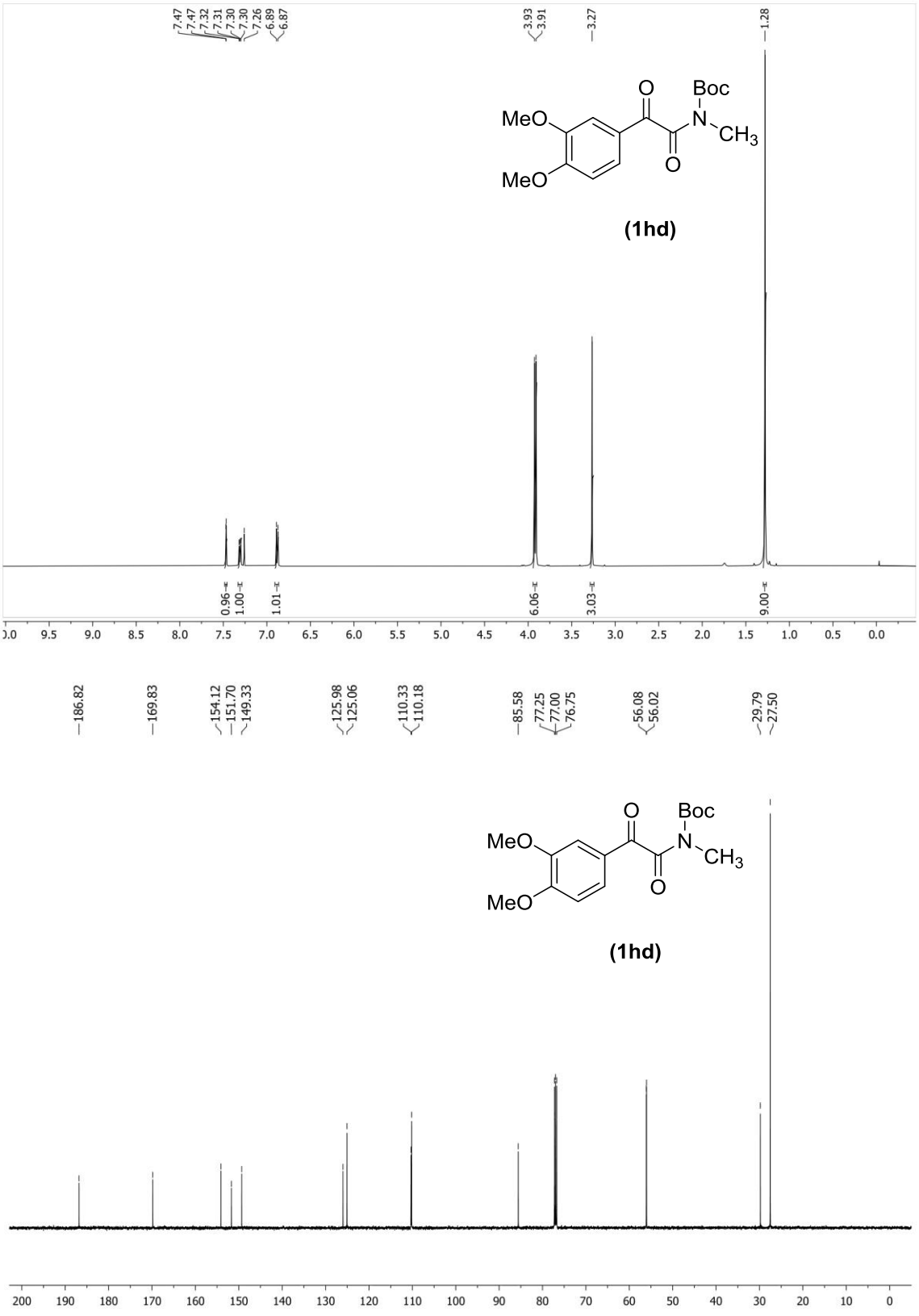


Figure 6.12 ^{1}H and ^{13}C NMR of product 1hd in $CDCl_3$.

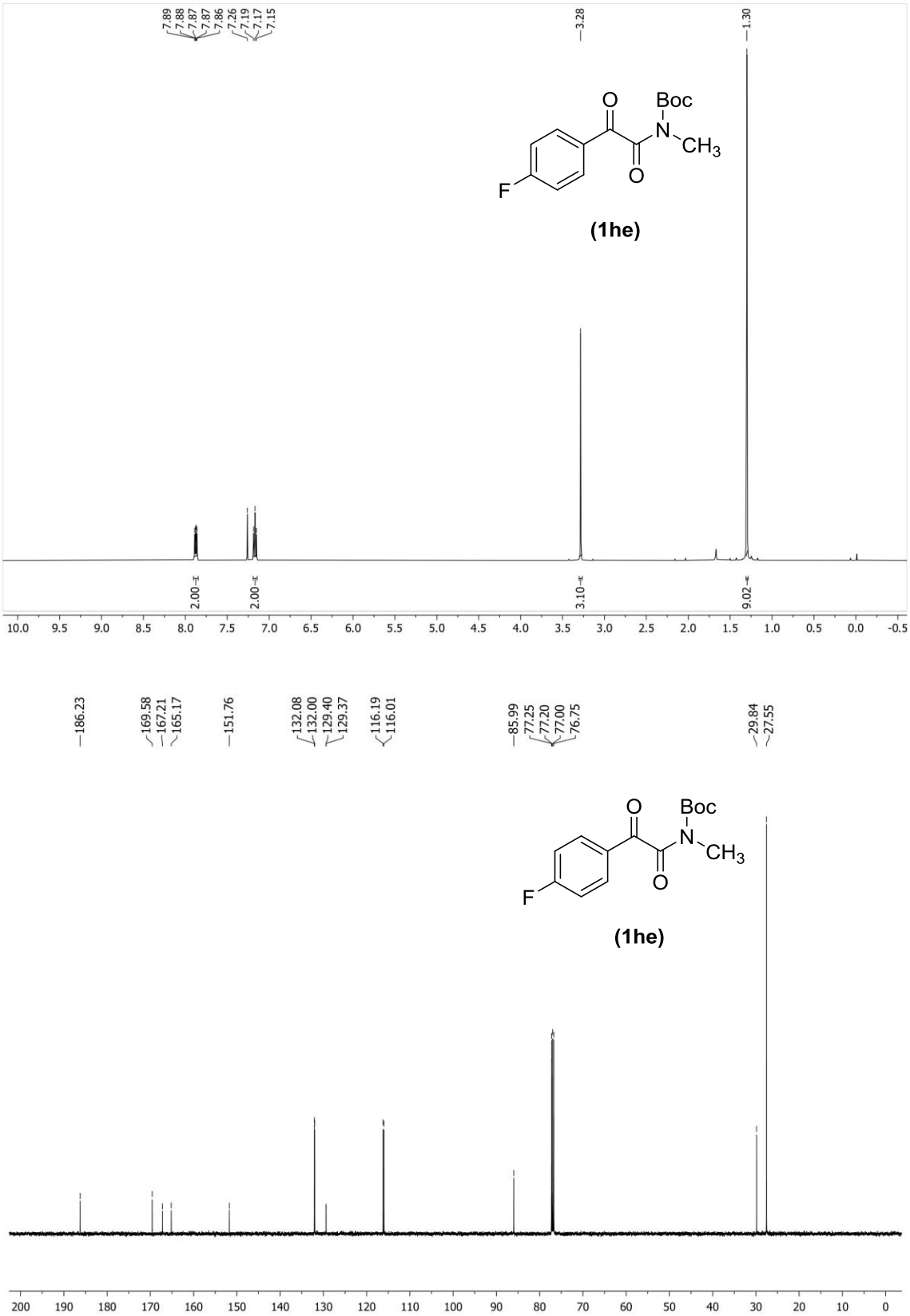


Figure 6.13 ^{1}H and ^{13}C NMR of product 1he in $CDCl_3$.

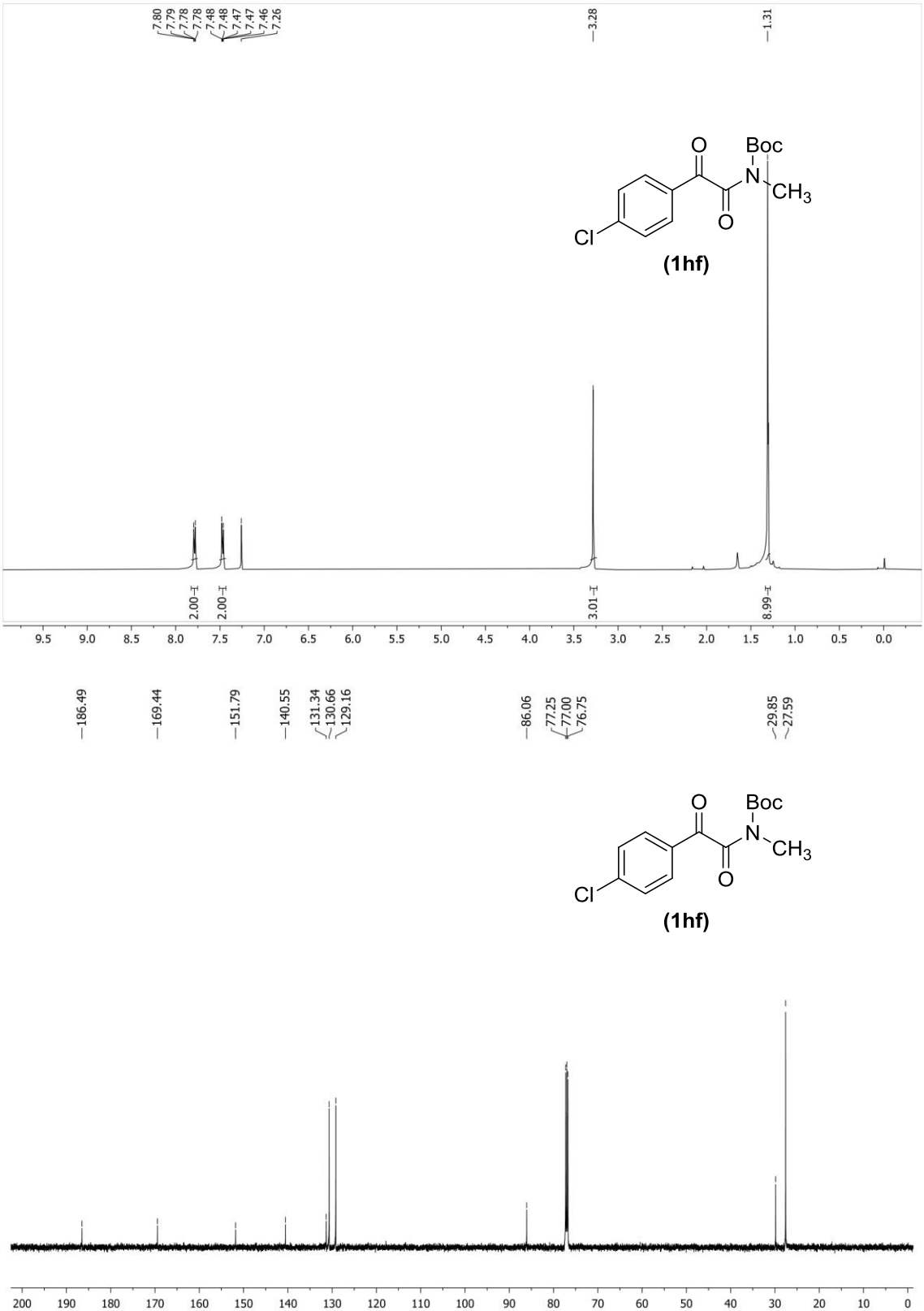


Figure 6.14 ^{1}H and ^{13}C NMR of product 1hf in $CDCl_3$.

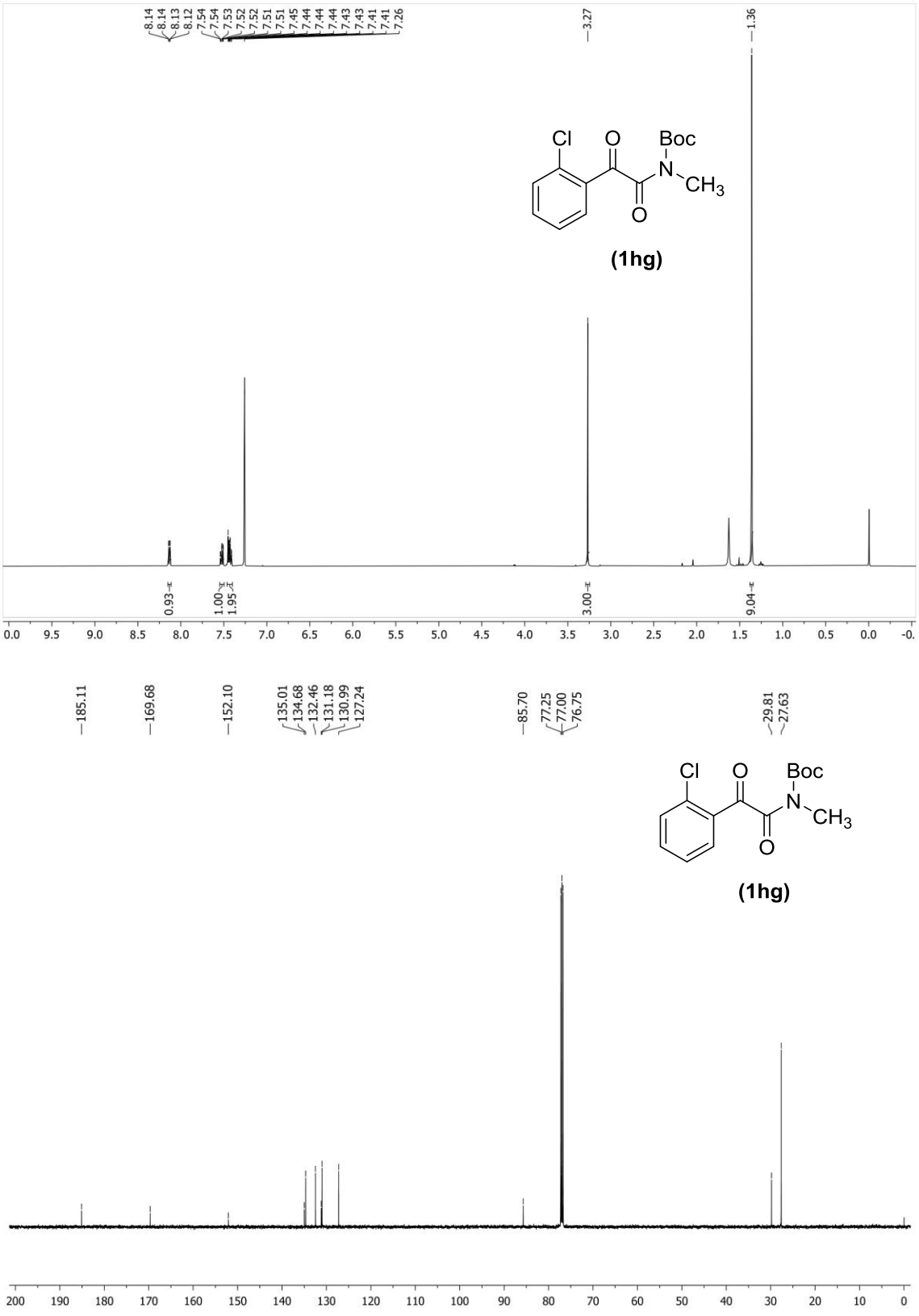


Figure 6.15 ^{1}H and ^{13}C NMR of product 1hg in $CDCl_3$.

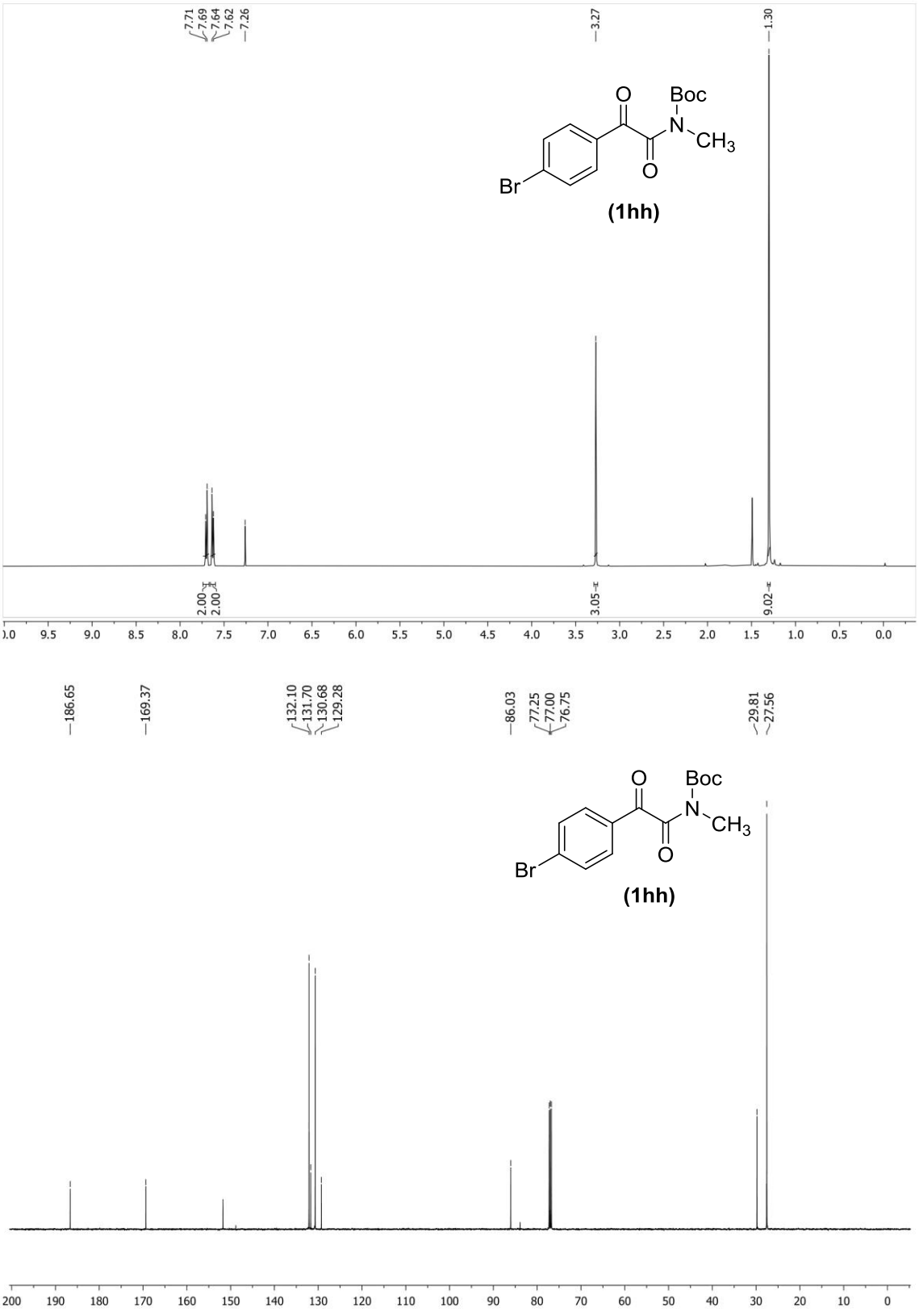


Figure 6.16 ^{1}H and ^{13}C NMR of product 1hh in $CDCl_3$.

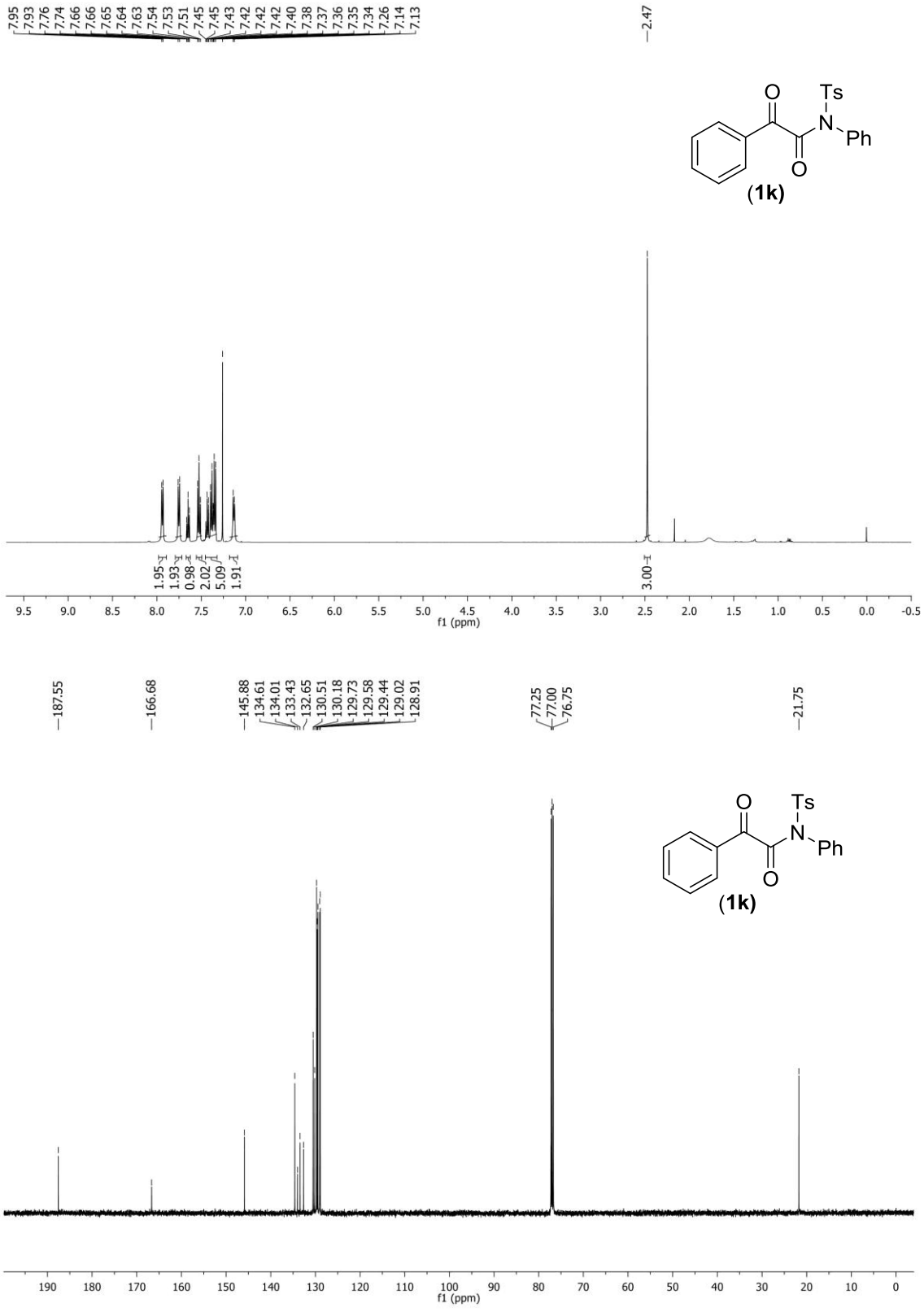


Figure 6.17 ^{1}H and ^{13}C of product 1k in $CDCl_3$.

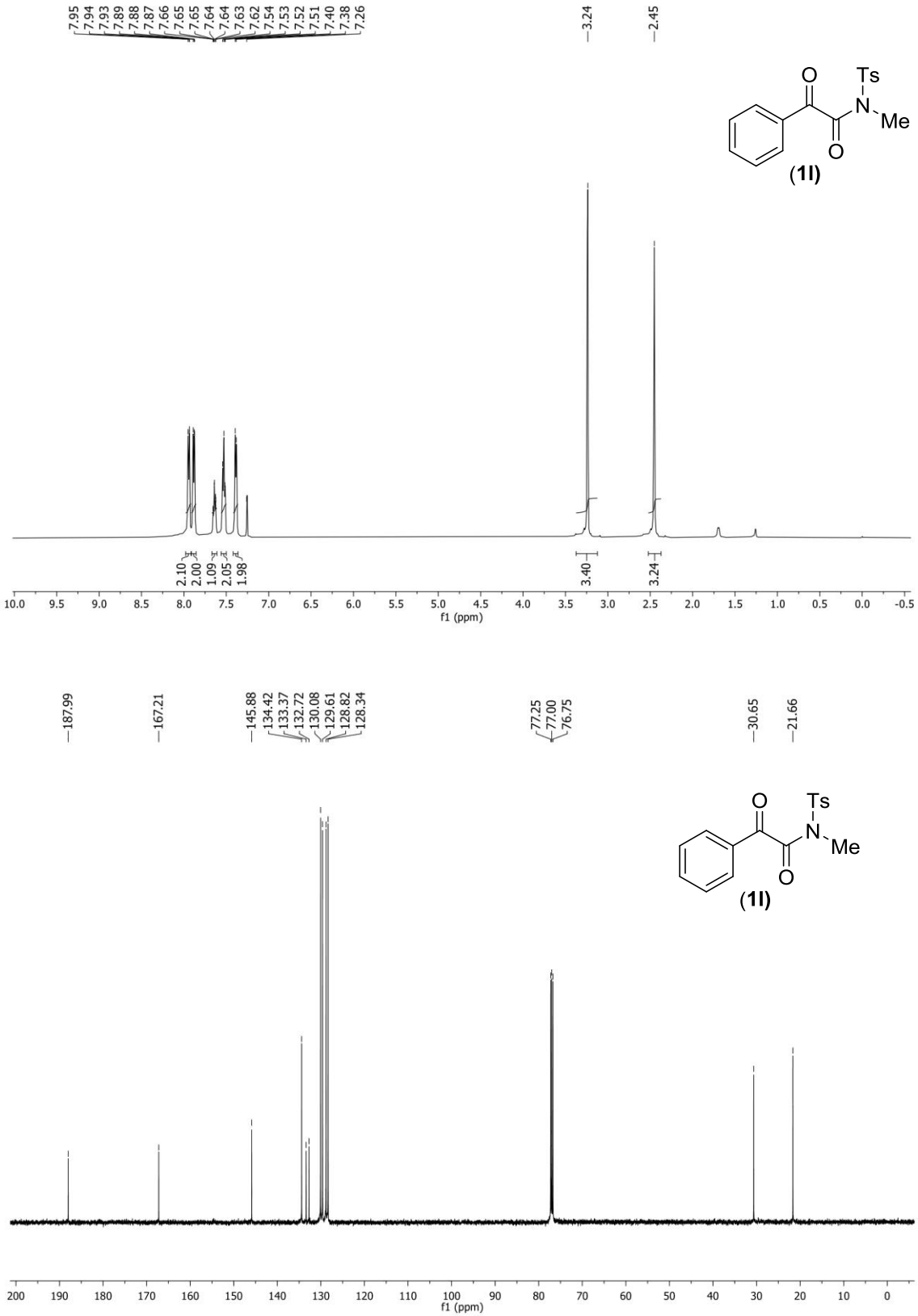


Figure 6.18 ^{1}H and ^{13}C of product 1l in $CDCl_3$.

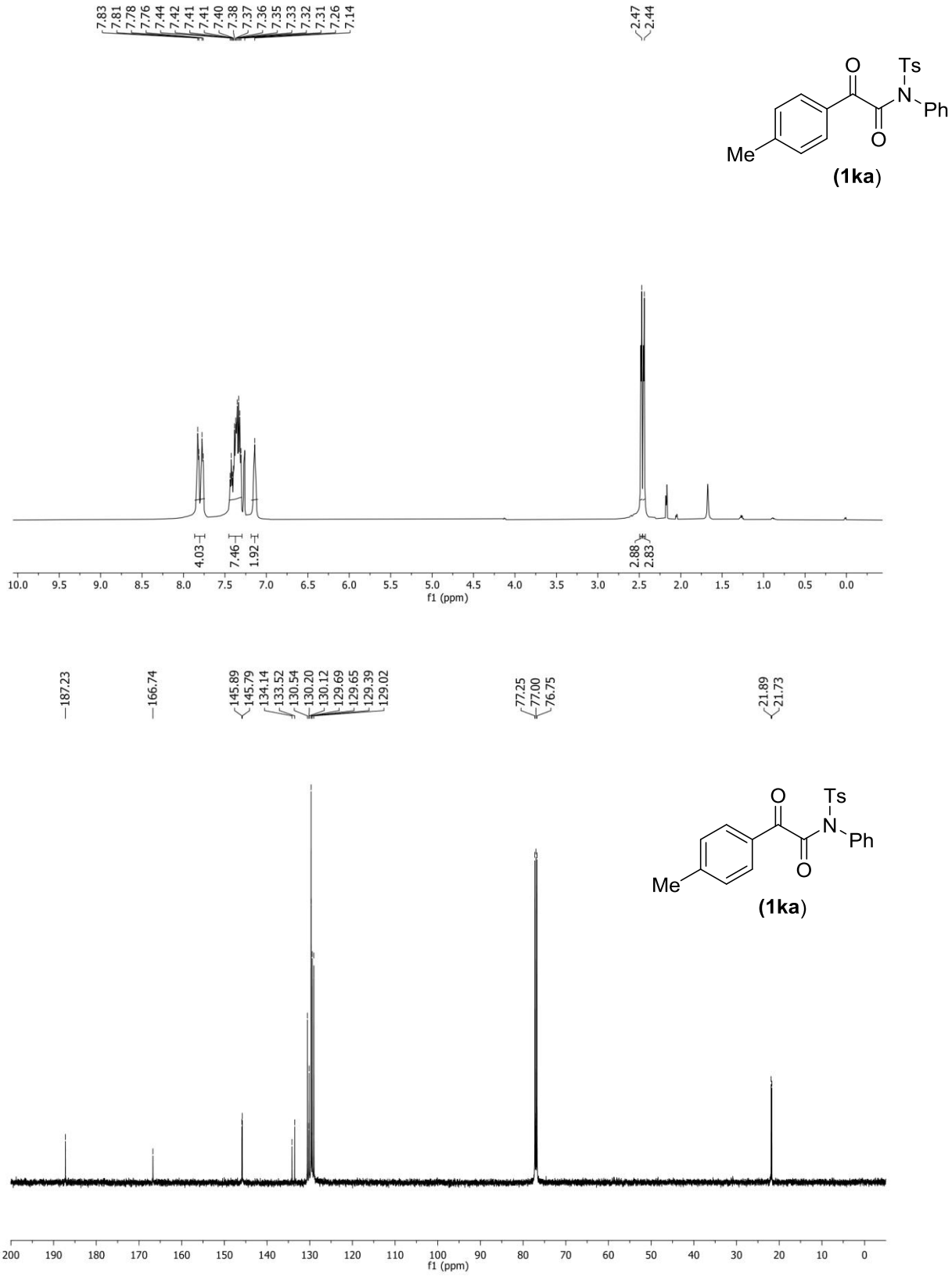


Figure 6.19 ^{1}H and ^{13}C of product 1ka in $CDCl_3$.

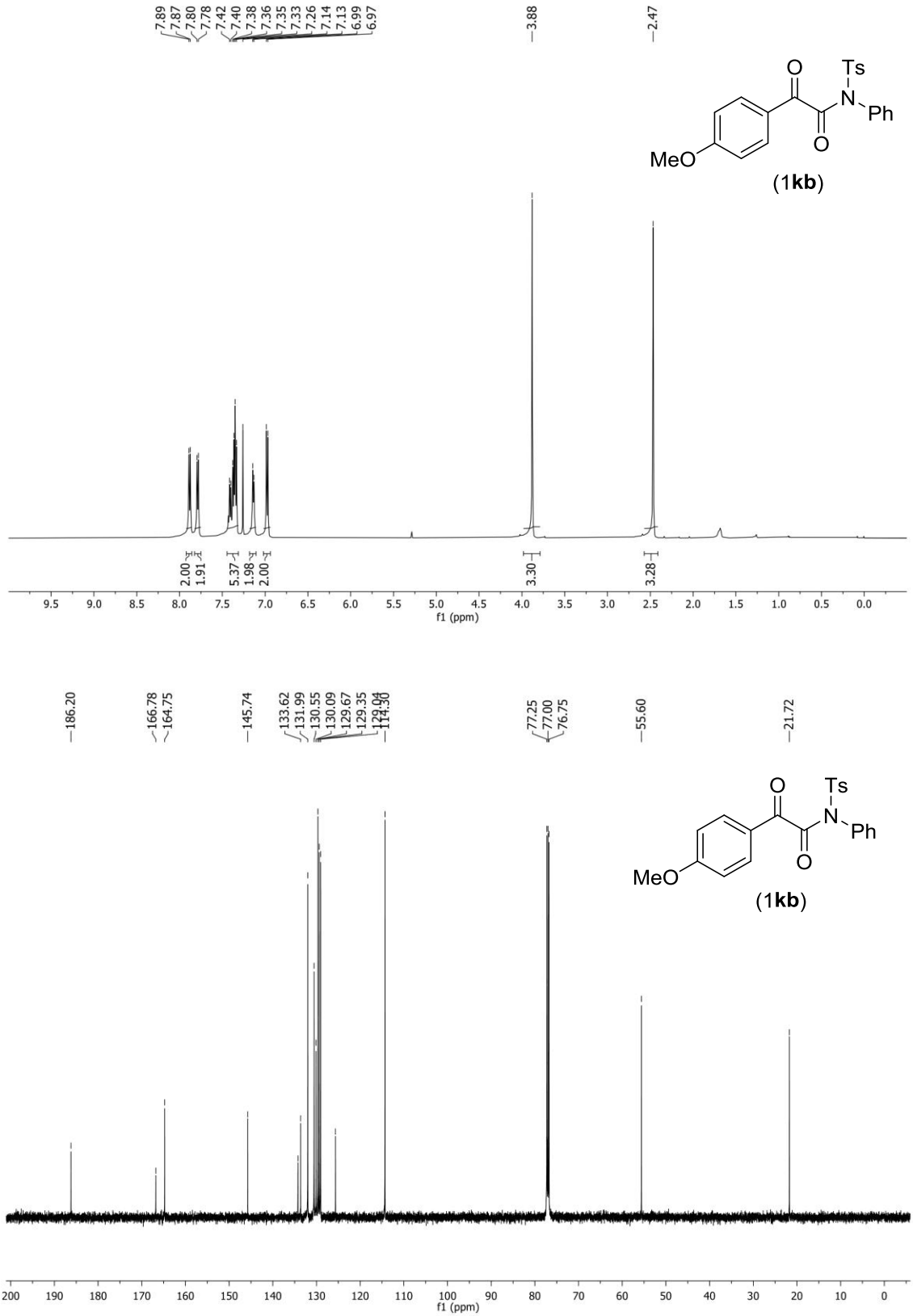


Figure 6.20 ^{1}H and ^{13}C of product 1kb in $CDCl_3$.

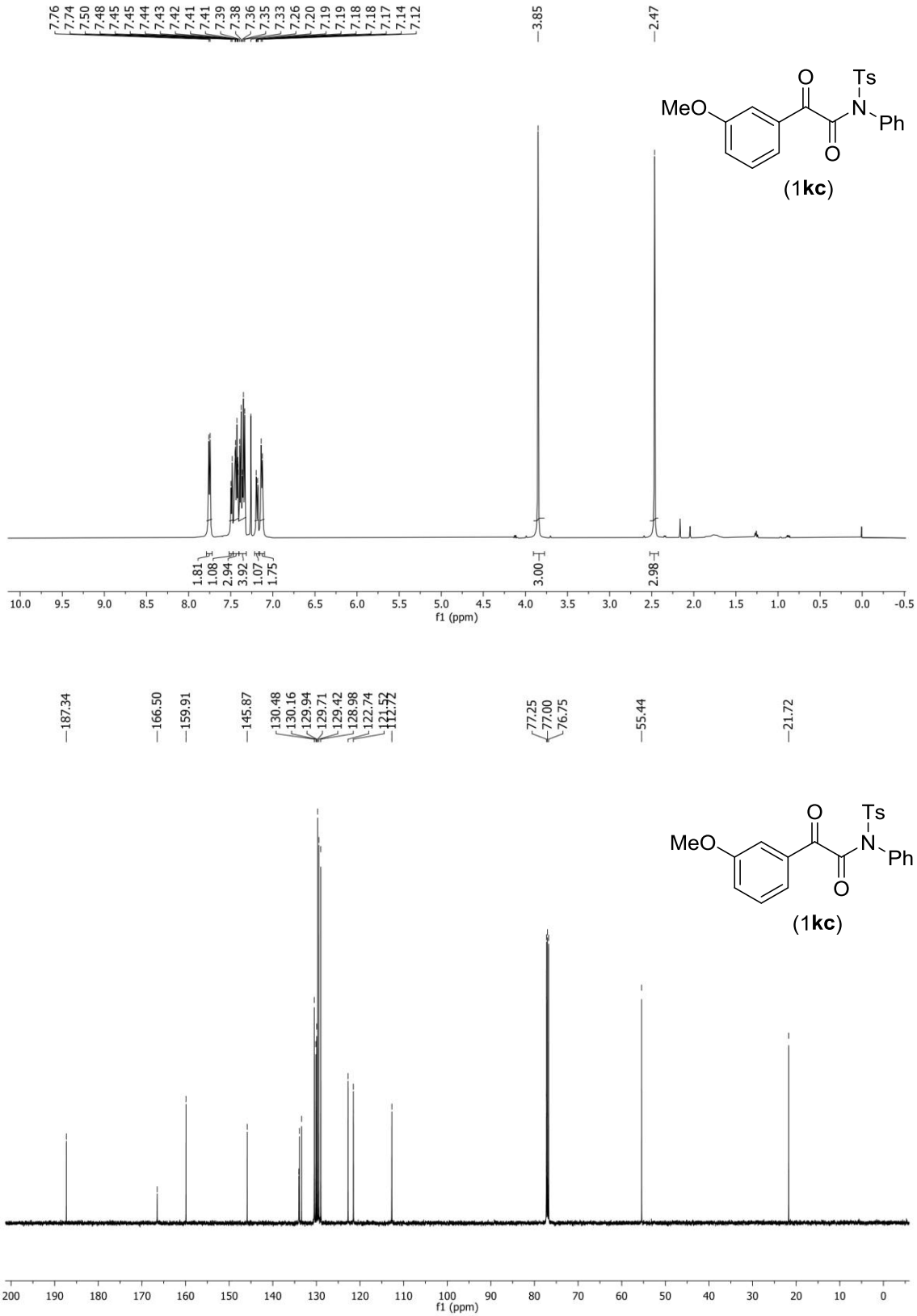


Figure 6.21 ^{1}H and ^{13}C of product 1kc in $CDCl_3$.

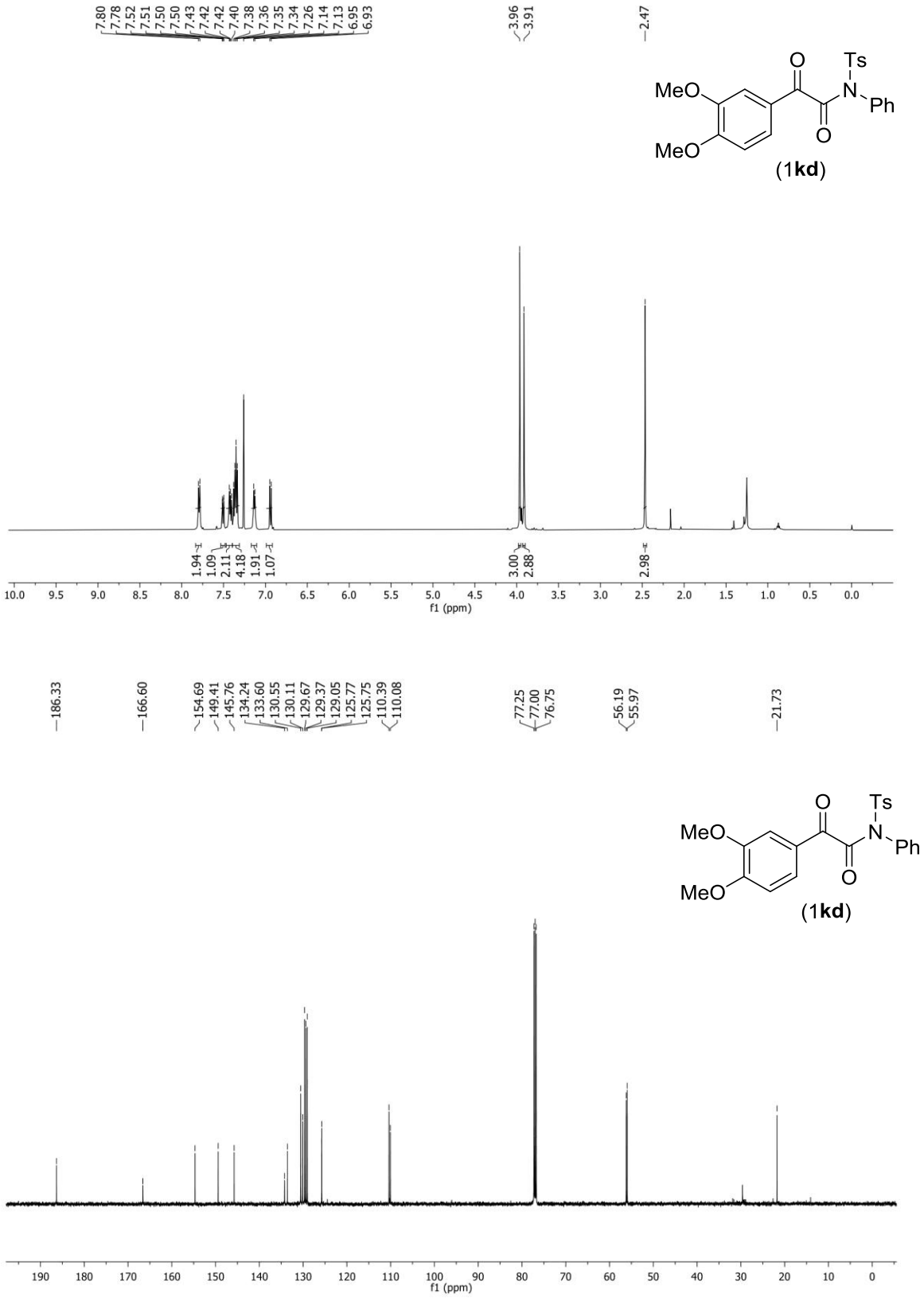


Figure 6.22 ^{1}H and ^{13}C of product 1kd in $CDCl_3$.

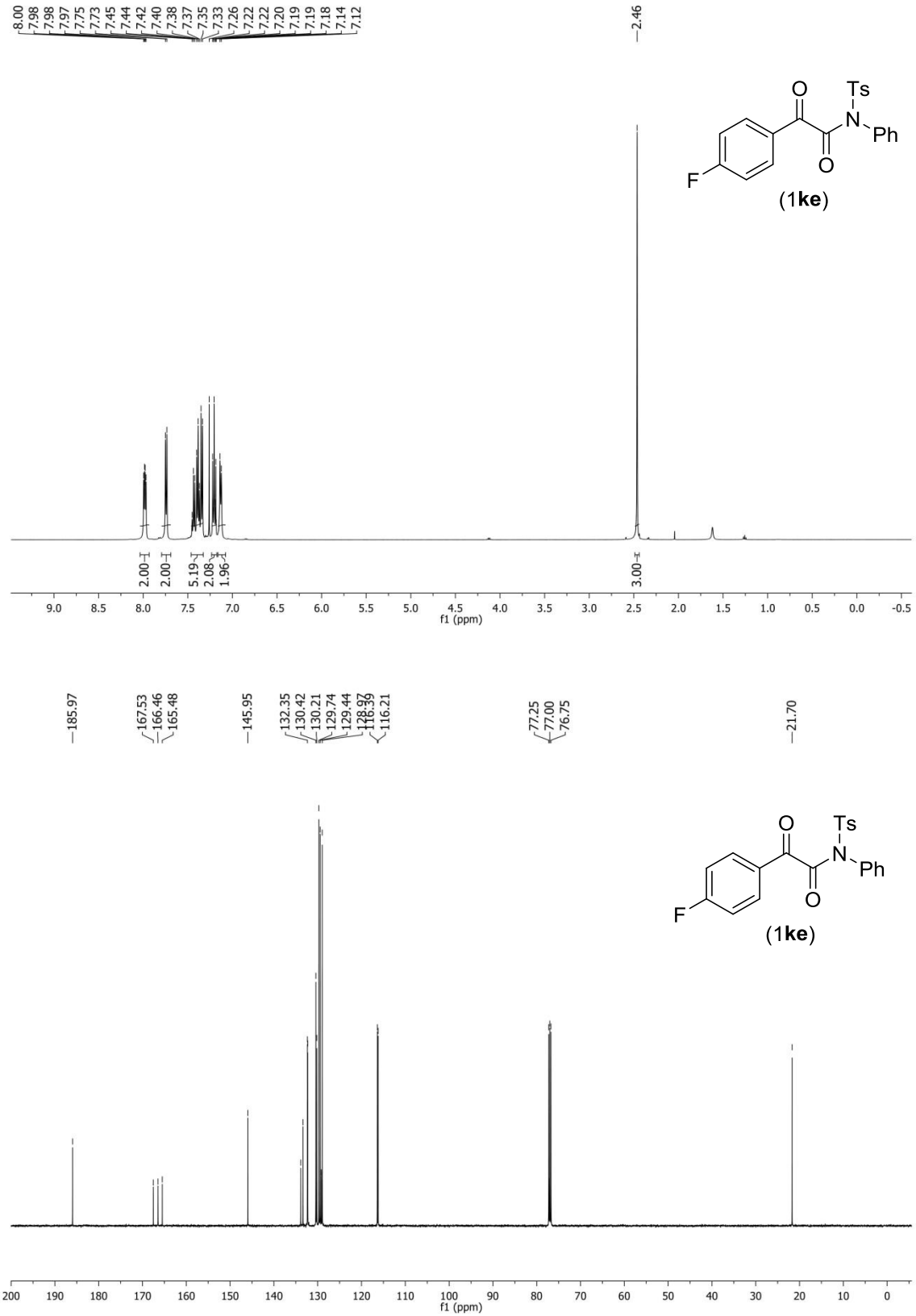


Figure 6.23 ^{1}H and ^{13}C of product 1ke in $CDCl_3$.

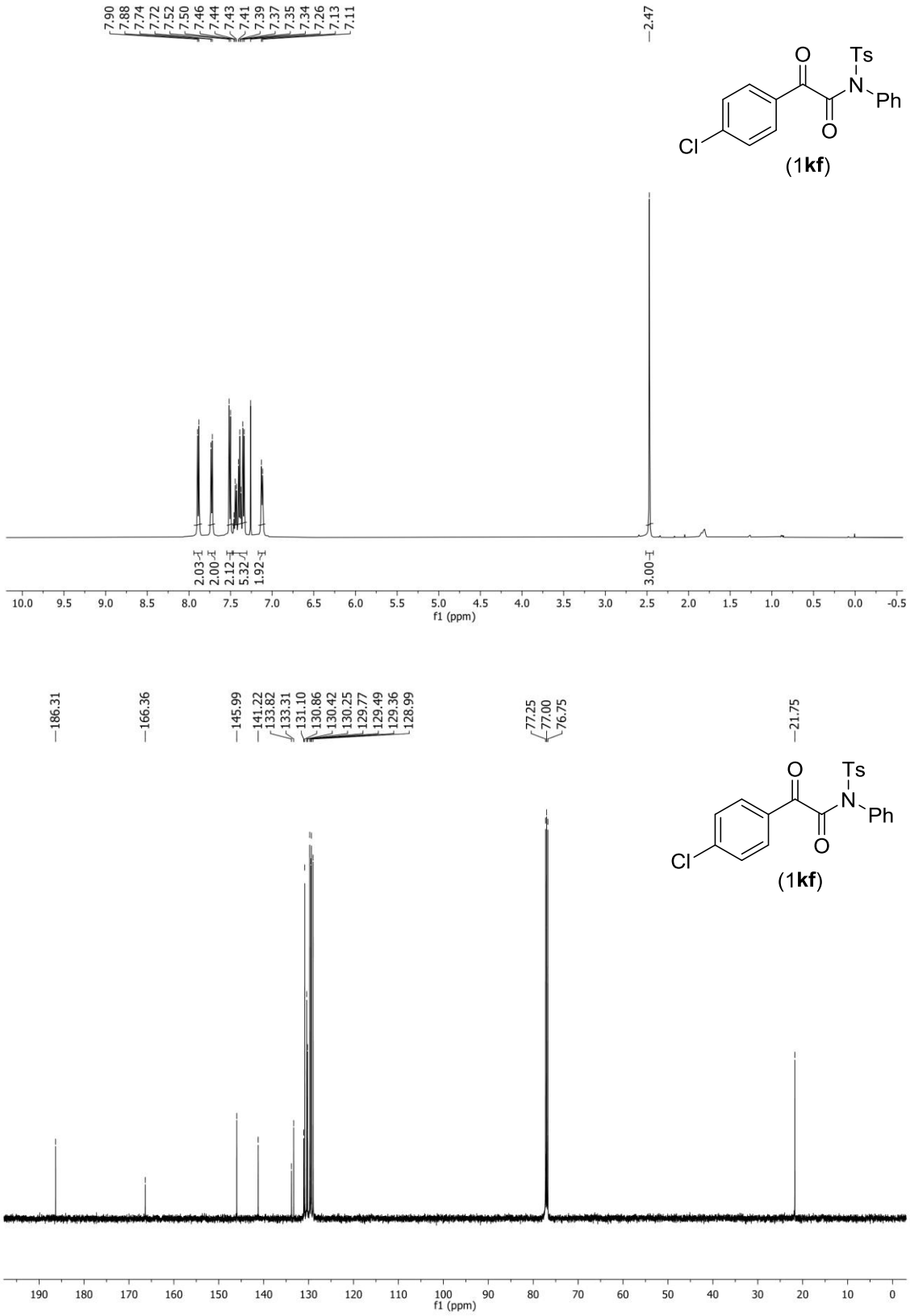


Figure 6.24 ^{1}H and ^{13}C of product 1kf in $CDCl_3$.

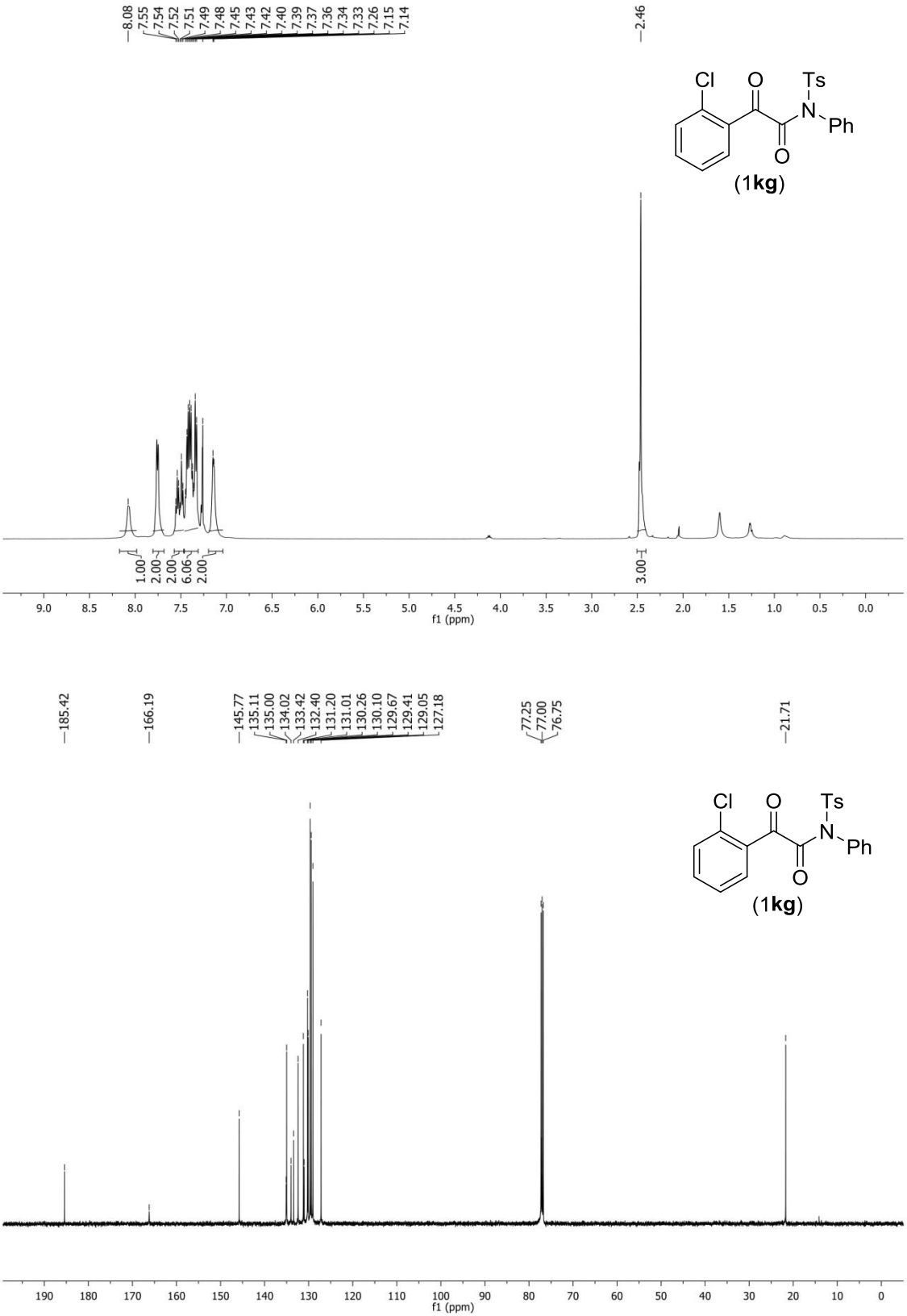


Figure 6.25 ^{1}H and ^{13}C of product 1kg in $CDCl_3$.

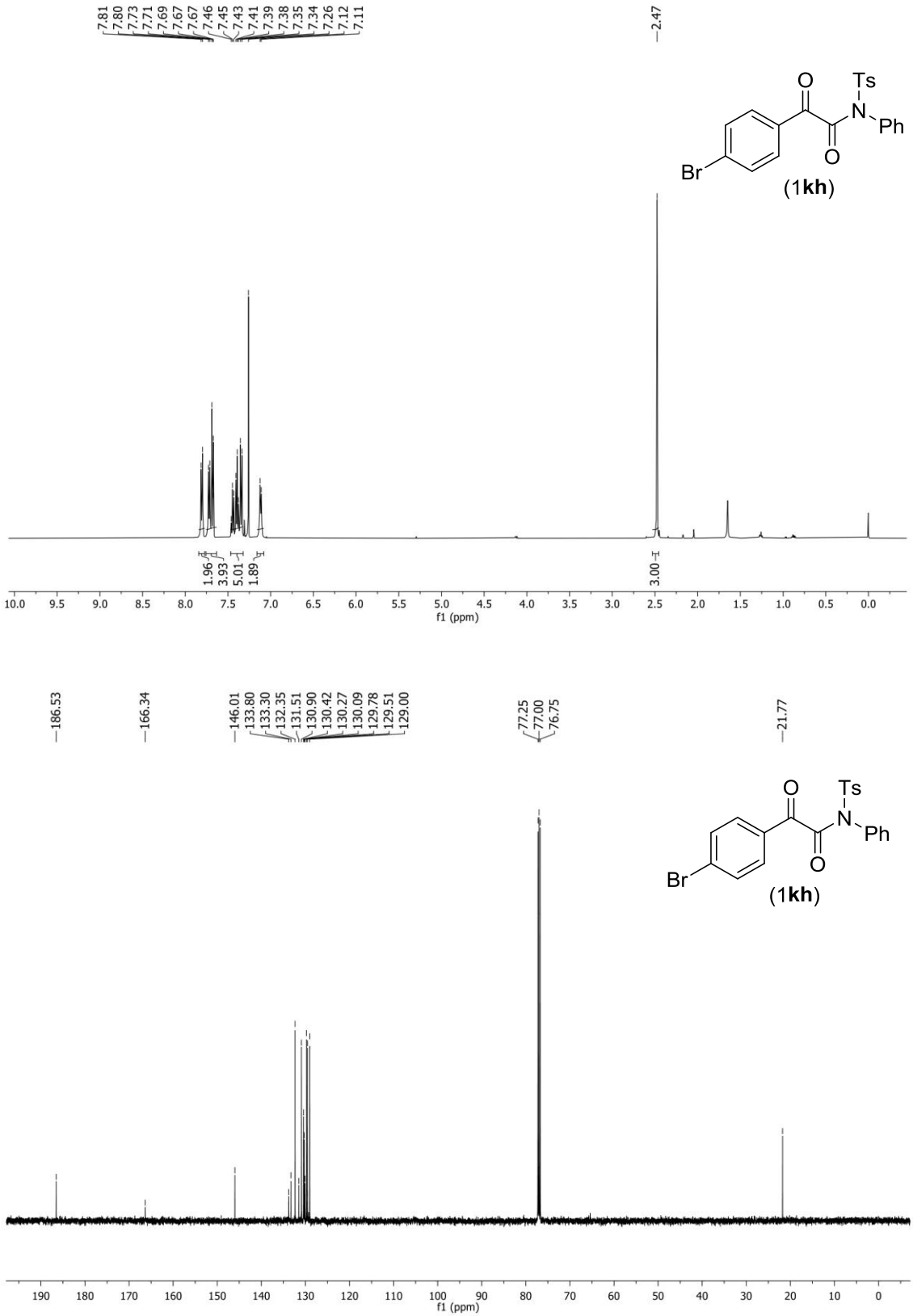


Figure 6.26 ^{1}H and ^{13}C of product 1kh in $CDCl_3$.

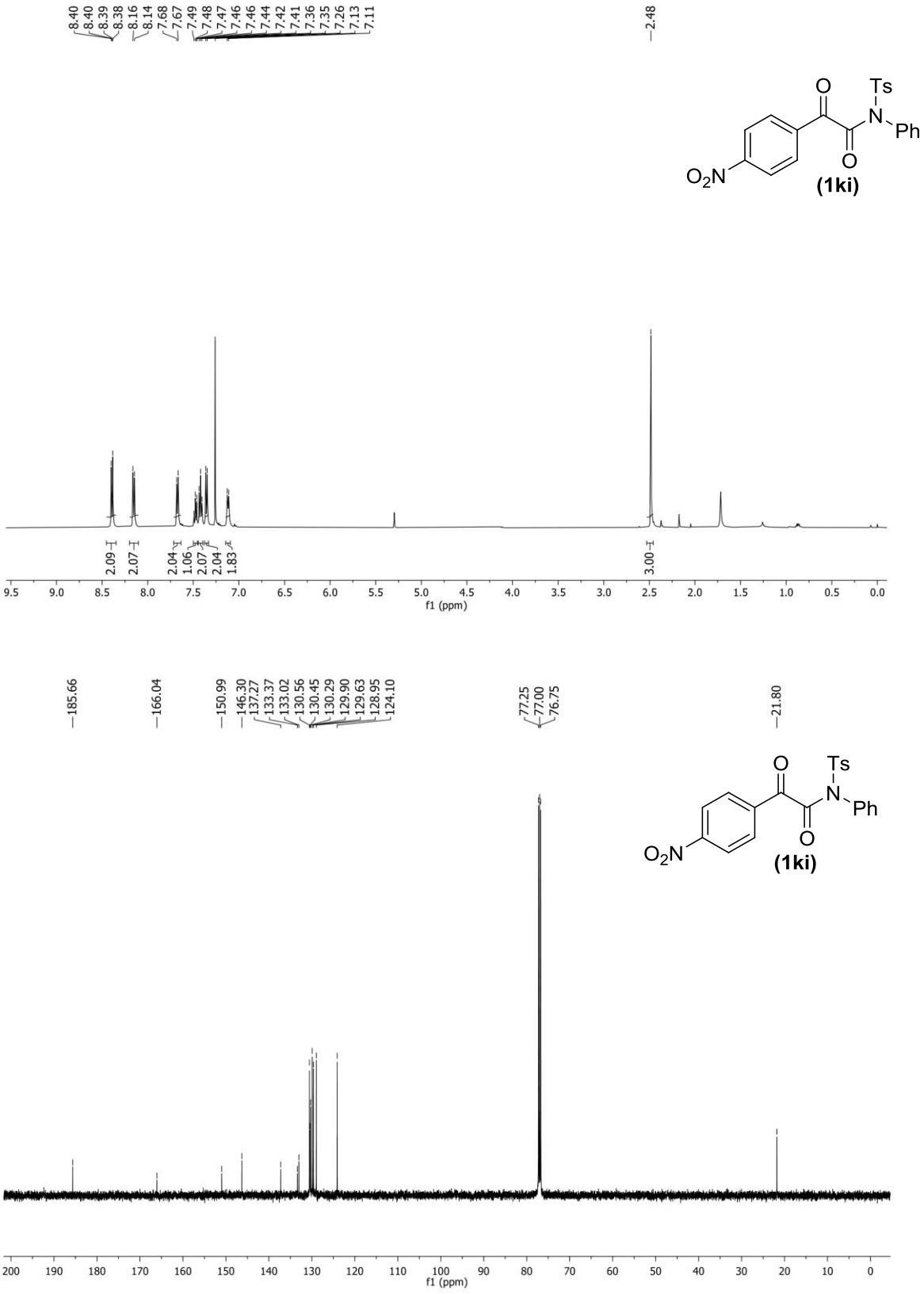


Figure 6.27 ^{1}H and ^{13}C of product 1ki in $CDCl_3$.

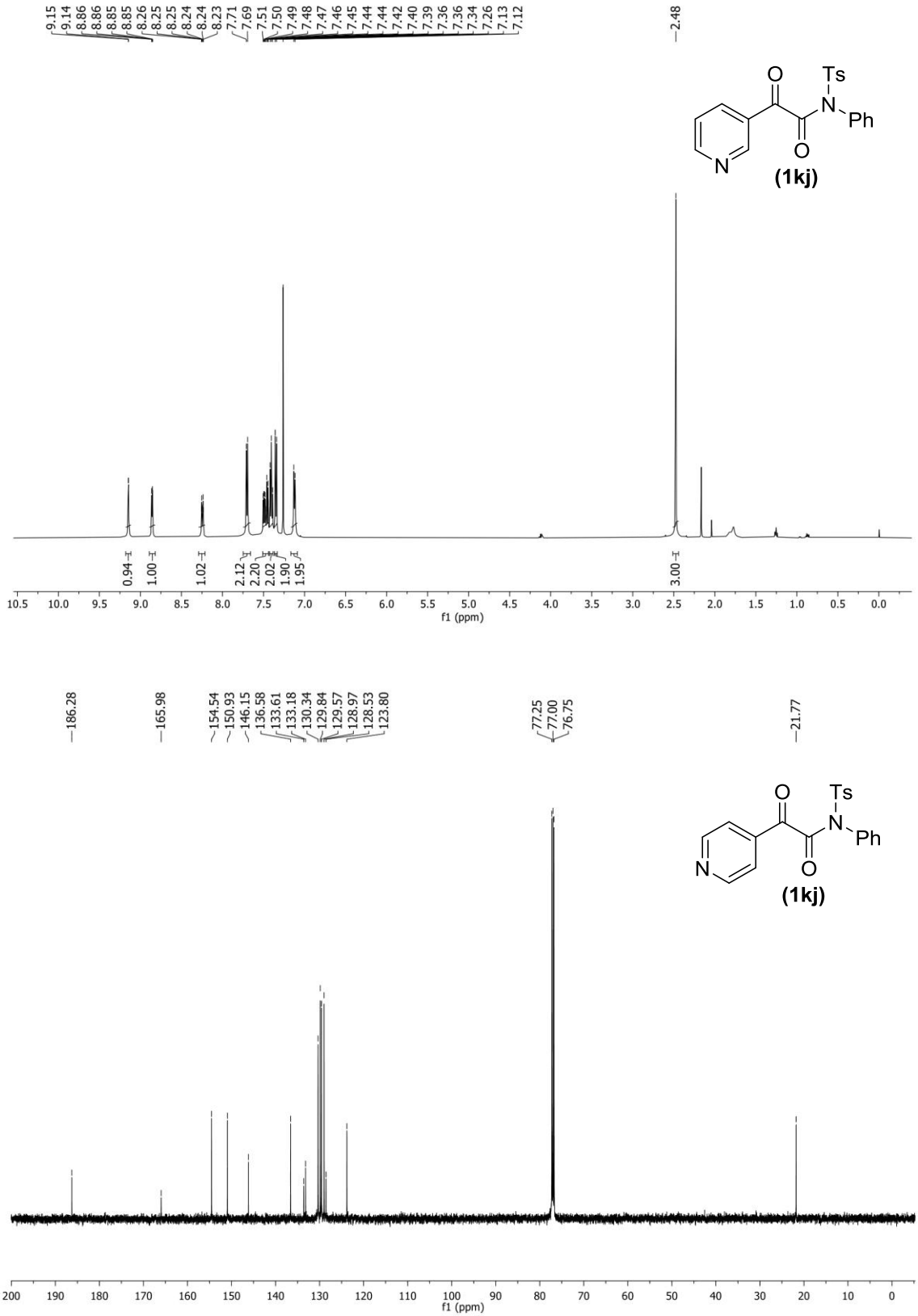


Figure 6.28 ^{1}H and ^{13}C of product 1kj in $CDCl_3$.

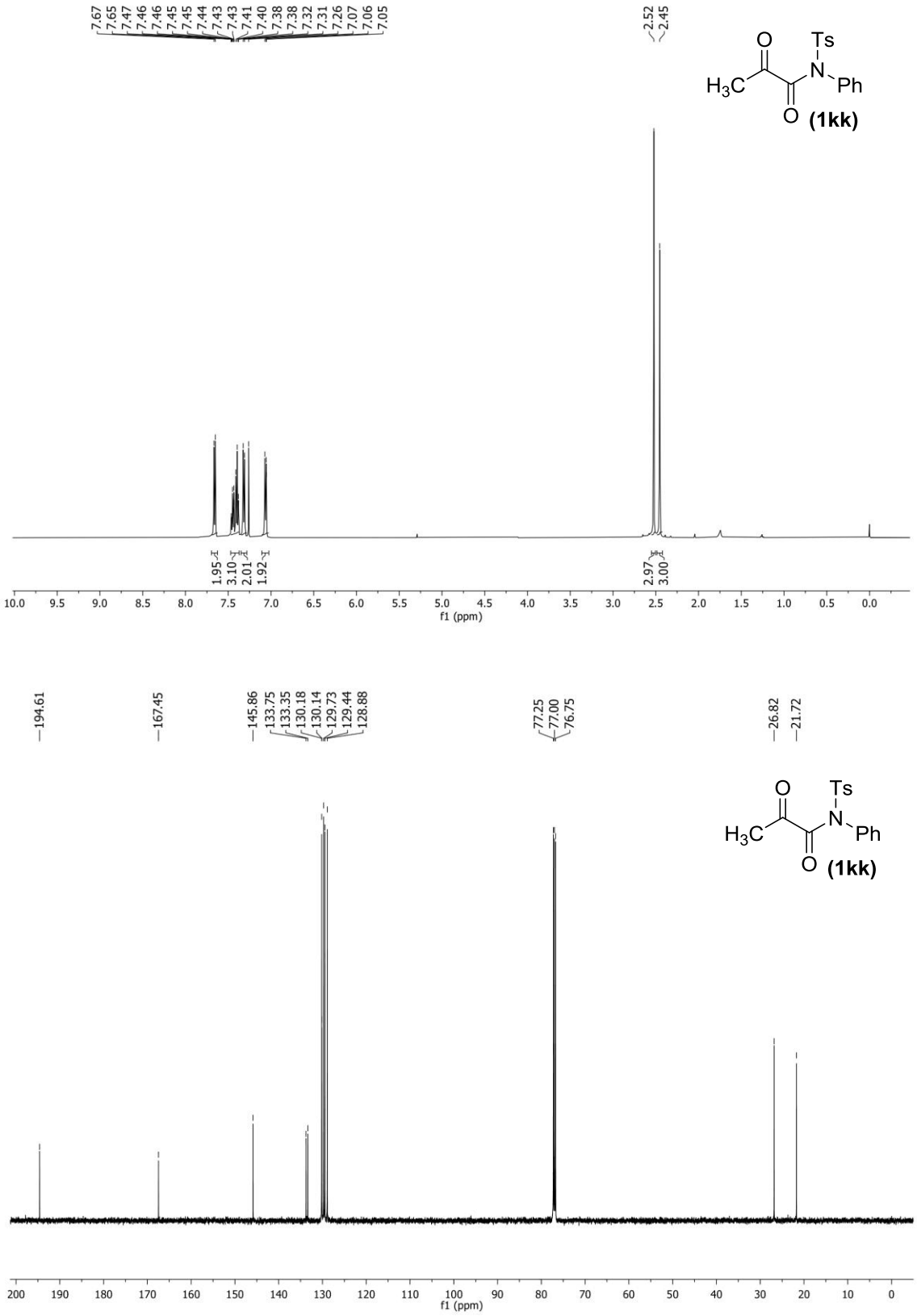
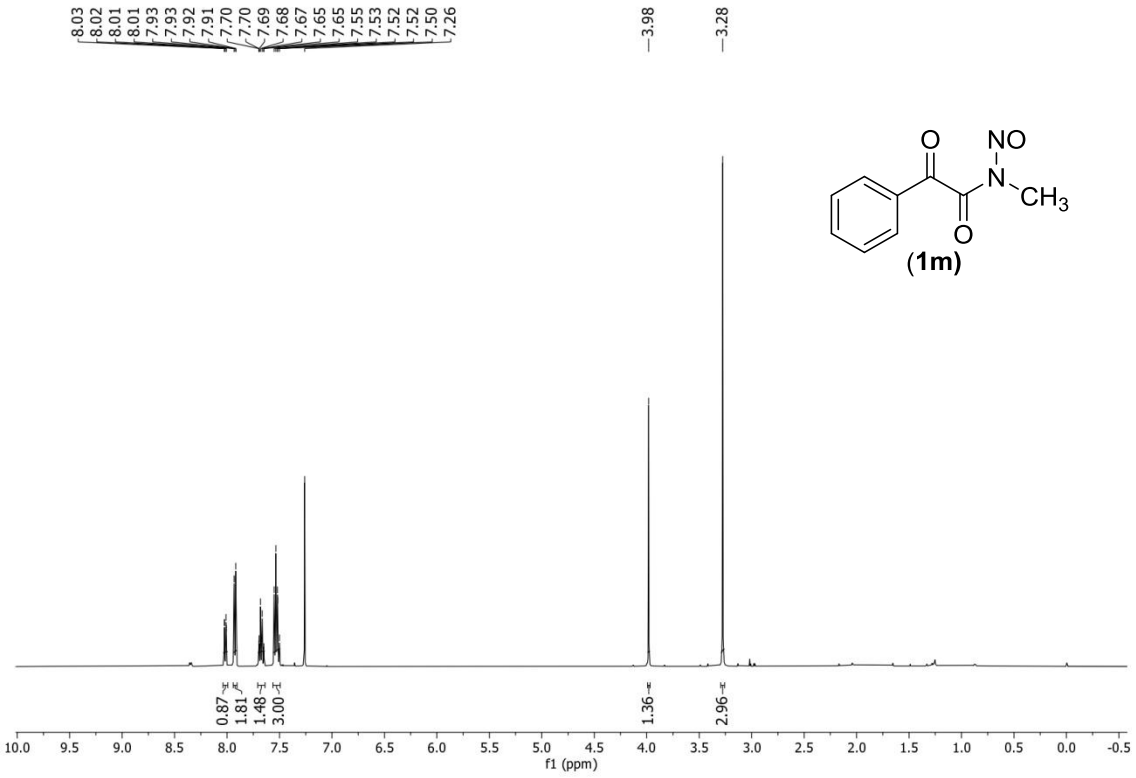


Figure 6.29 ^{1}H and ^{13}C of product 1kk in $CDCl_3$.



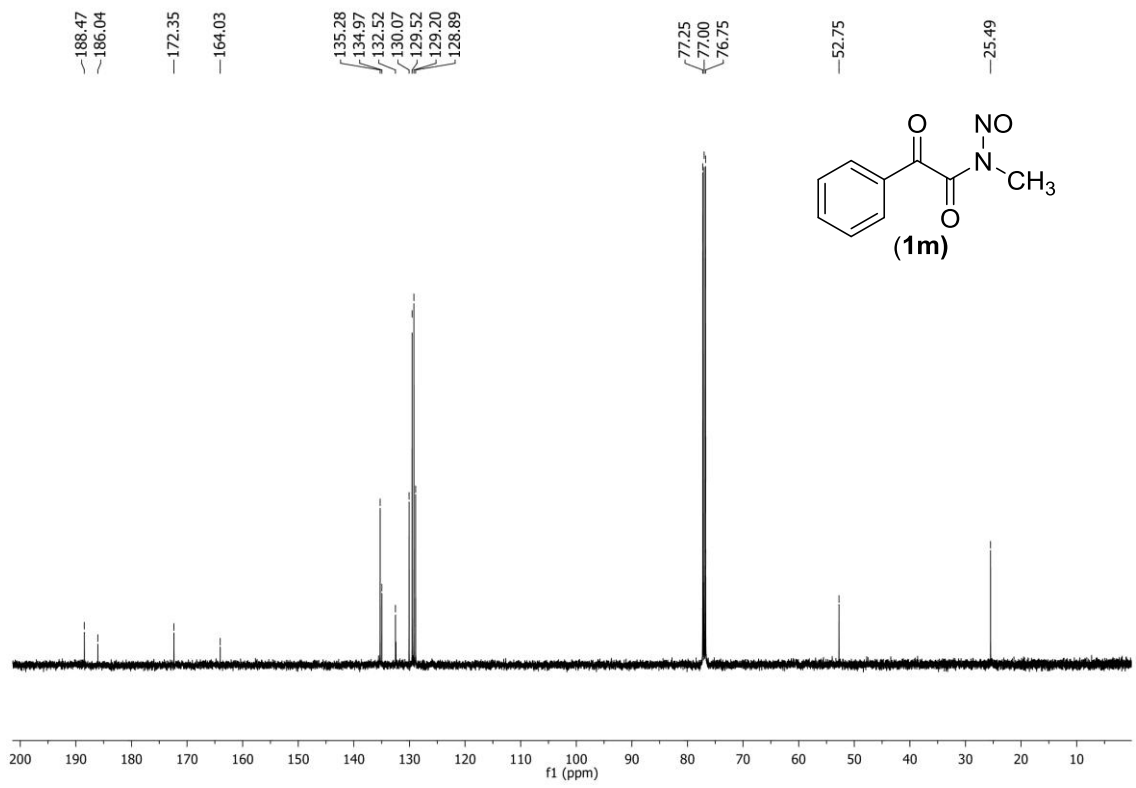


Figure 6.30 ^{1}H and ^{13}C of product 1m in $CDCl_3$.

^{1}H and ^{13}C NMR spectra of α-keto-amides:

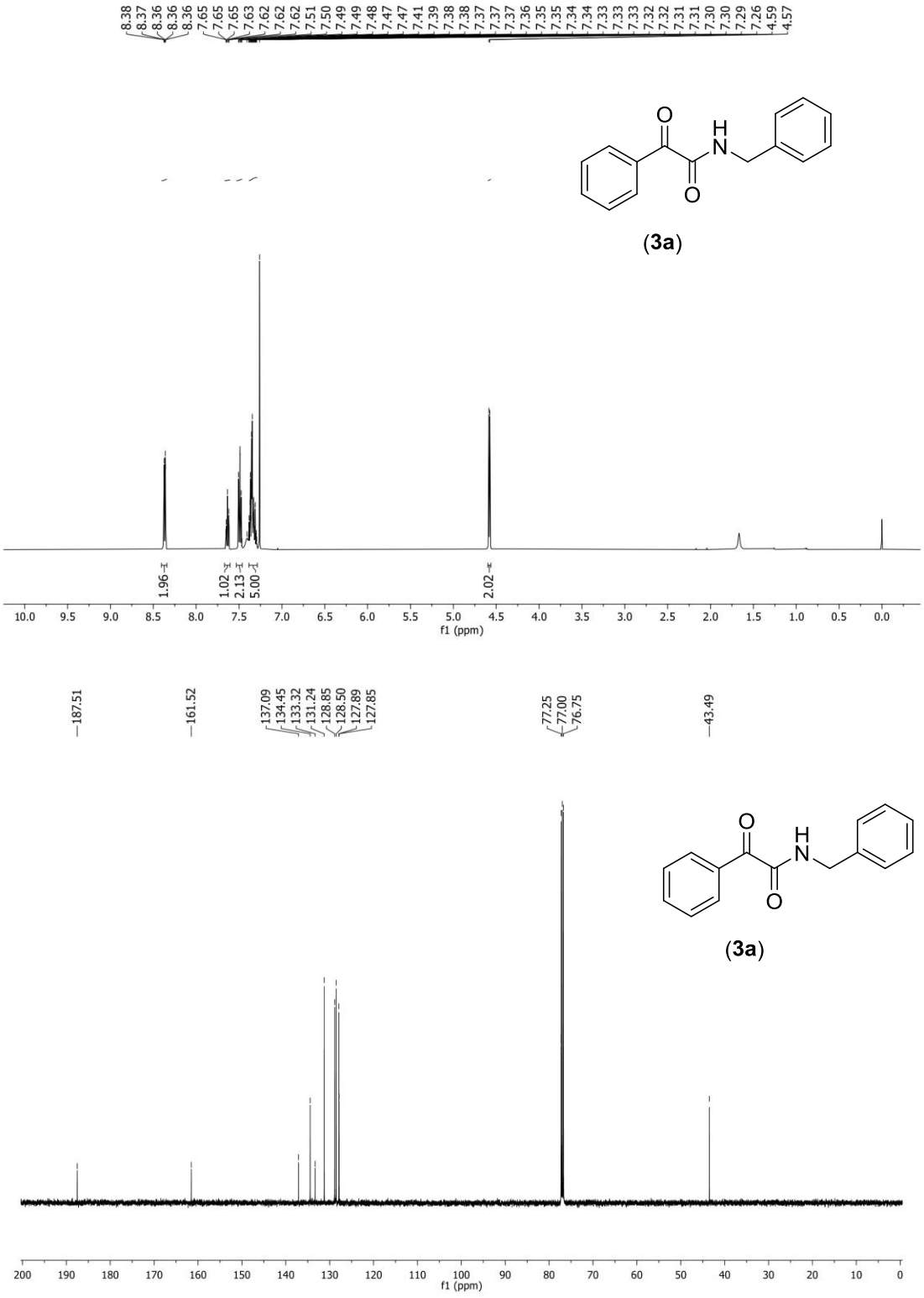


Figure 6.31 ^{1}H and ^{13}C NMR of product 3a in $CDCl_3$.

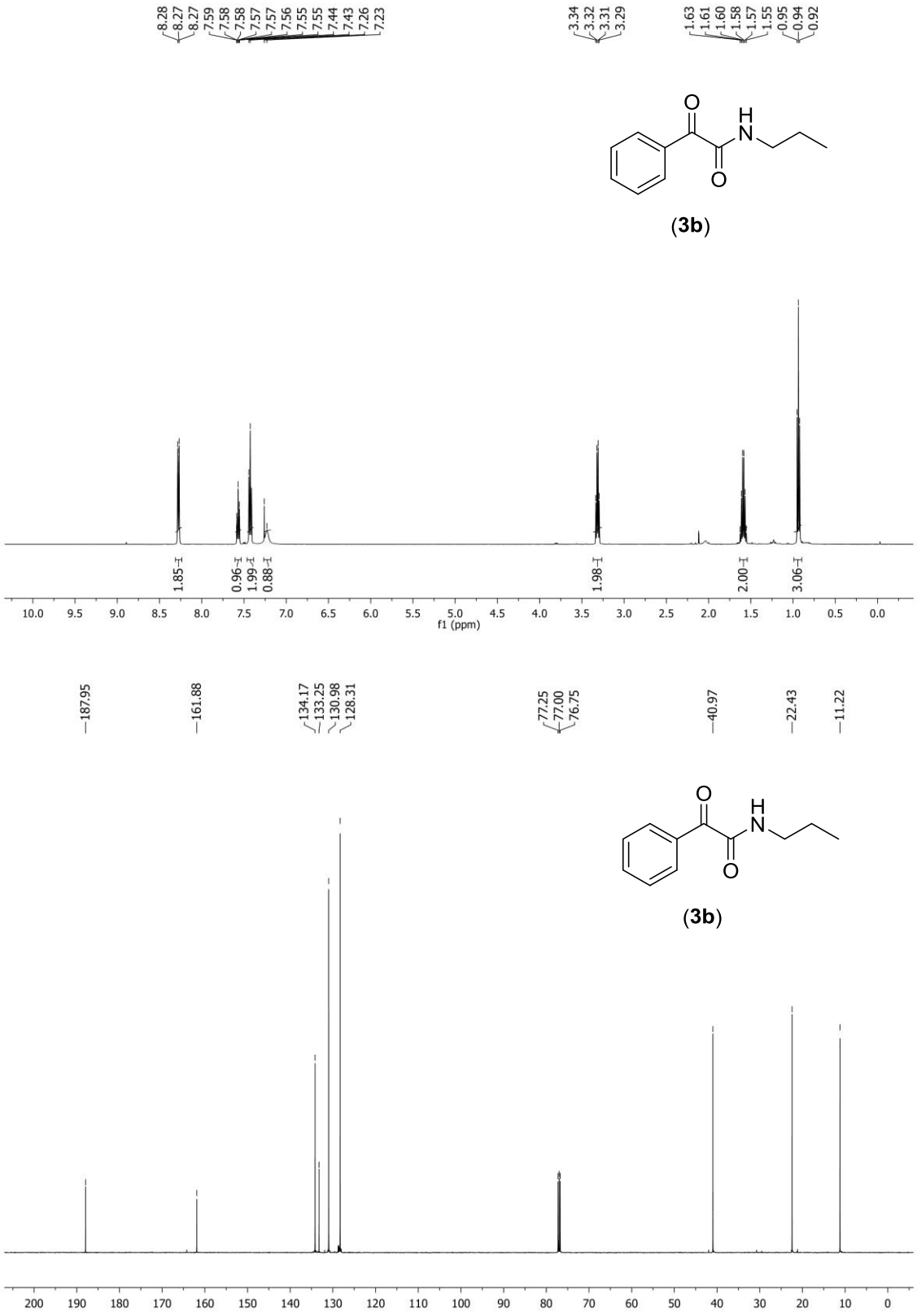


Figure 6.32 ^{1}H and ^{13}C NMR of product 3b in $CDCl_3$.

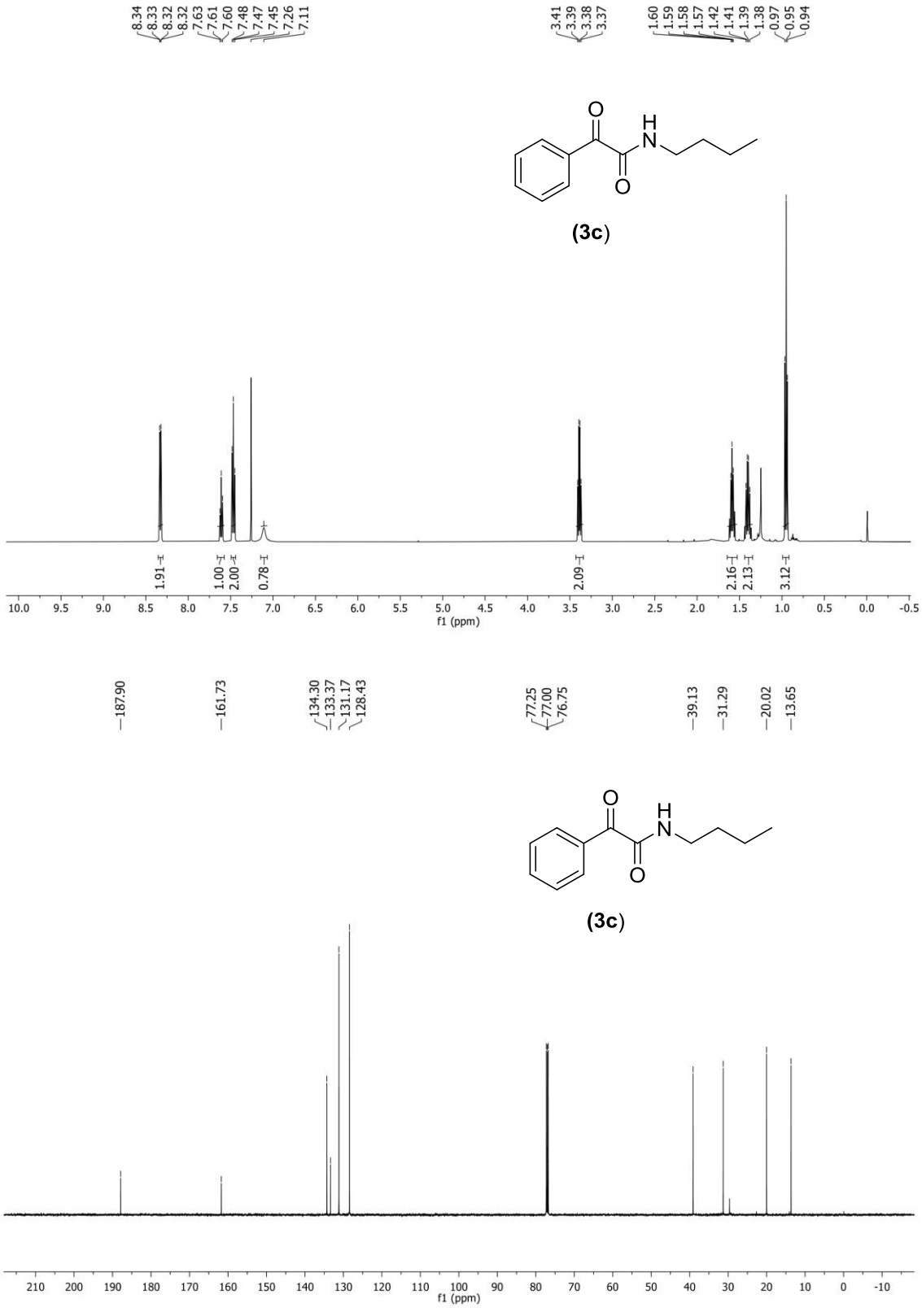


Figure 6.33 ^{1}H and ^{13}C NMR of product 3c in $CDCl_3$.

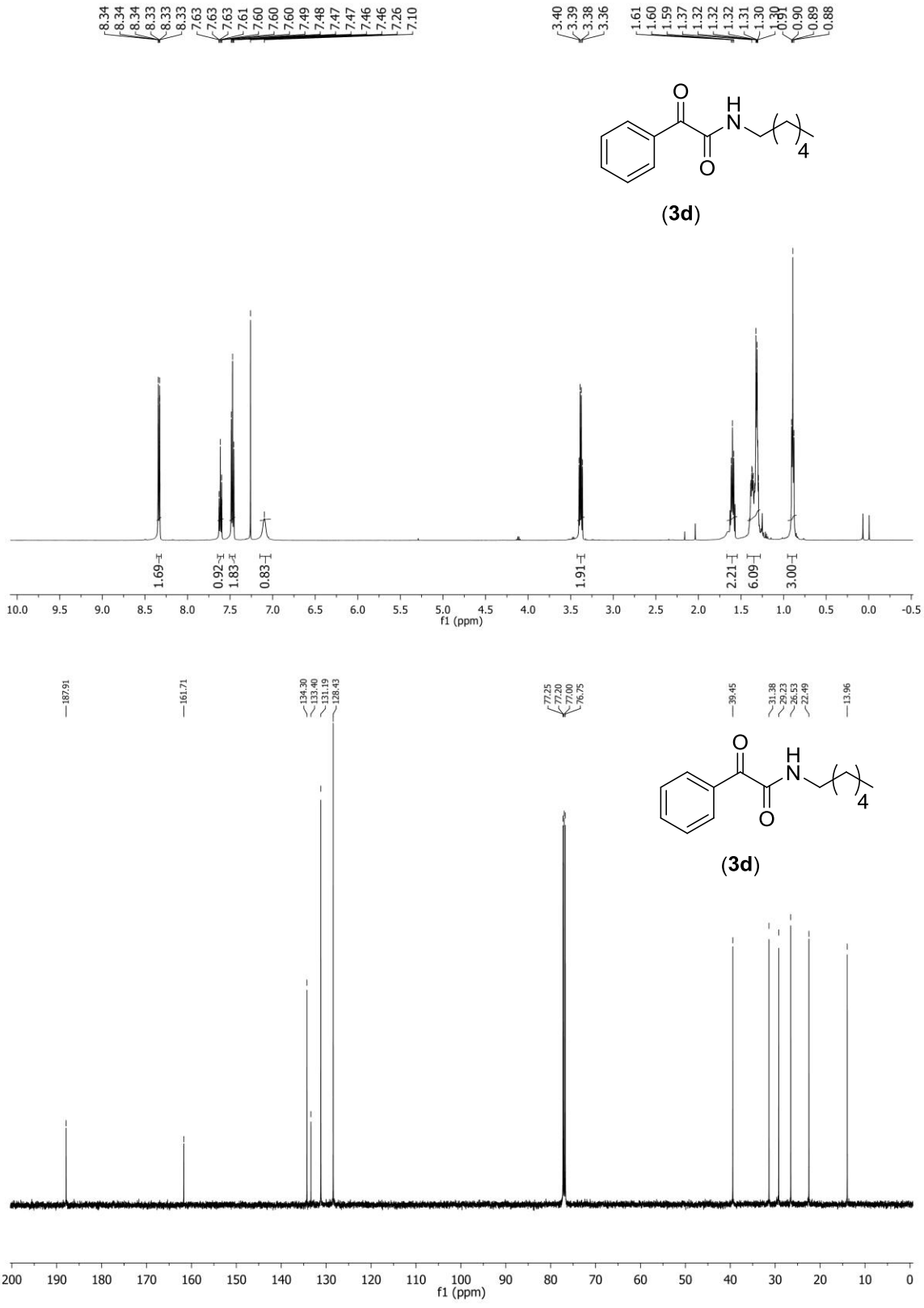


Figure 6.34 ^{1}H and ^{13}C NMR of product 3d in $CDCl_3$.

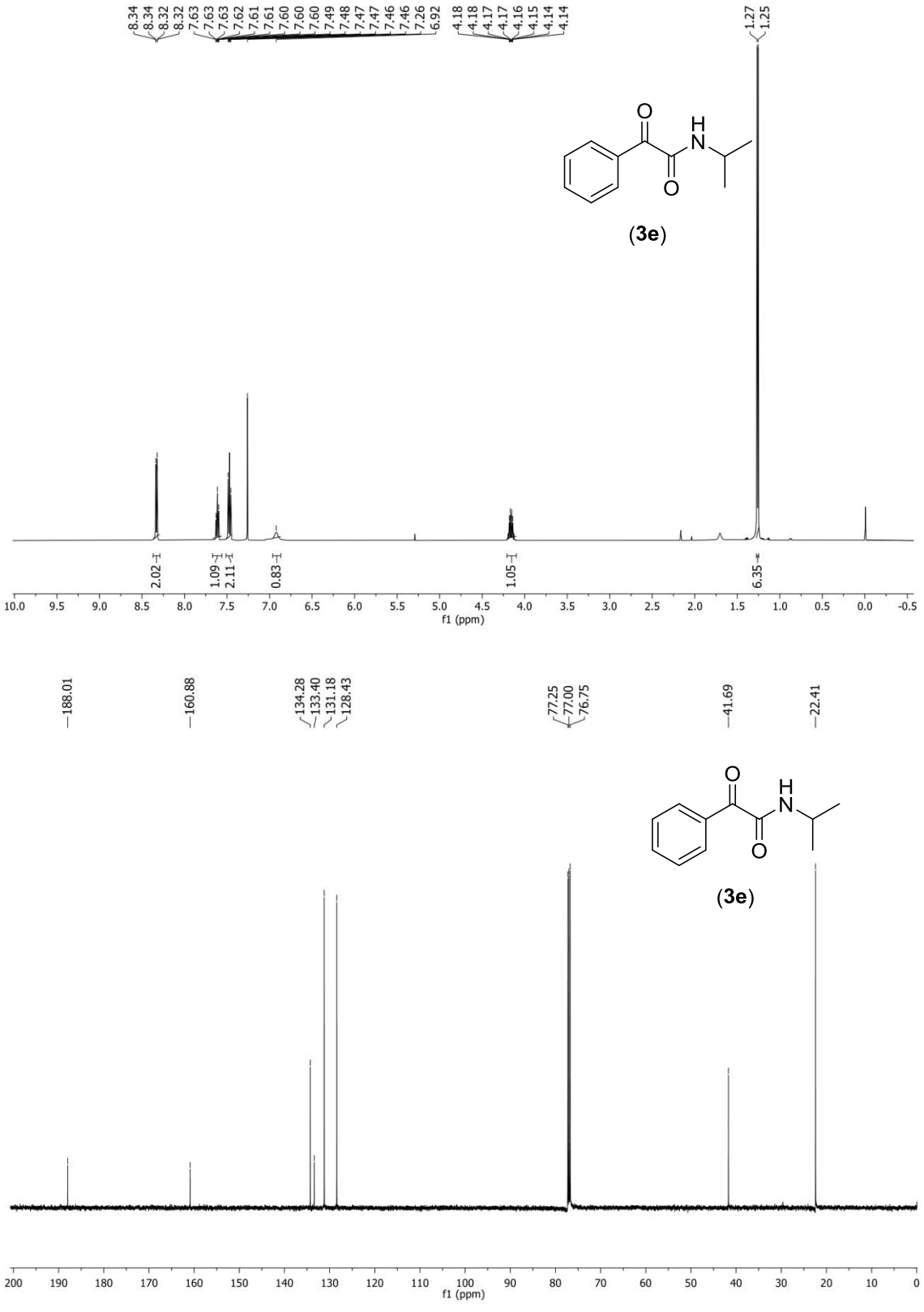


Figure 6.35 ^{1}H and ^{13}C NMR of product 3e in $CDCl_3$.

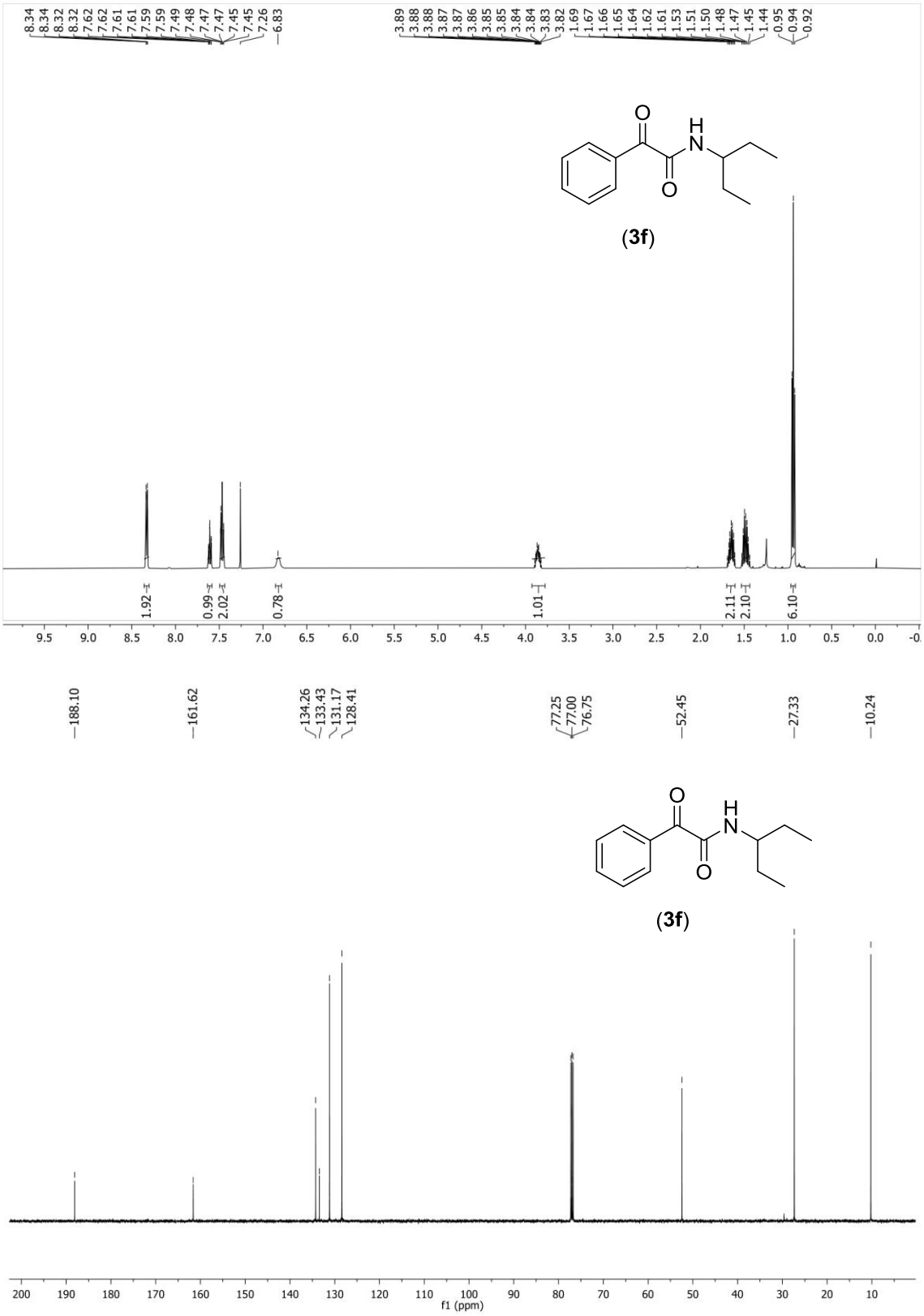


Figure 6.36 ^{1}H and ^{13}C NMR of product 3f in $CDCl_3$.

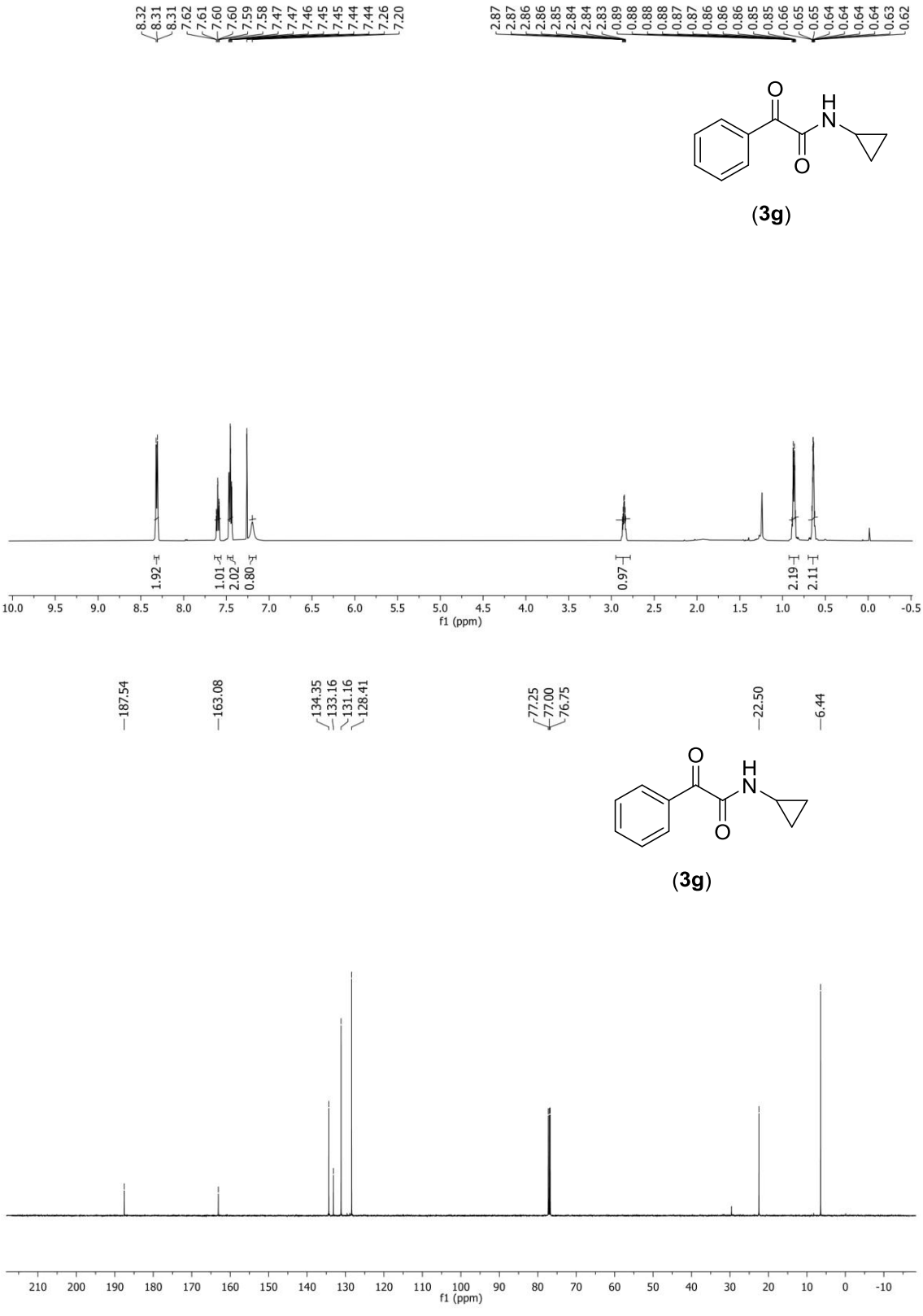


Figure 6.37 ^{1}H and ^{13}C NMR of product 3g in $CDCl_3$.

Figure 6.38 ^{1}H and ^{13}C NMR of product 3h in $CDCl_3$.

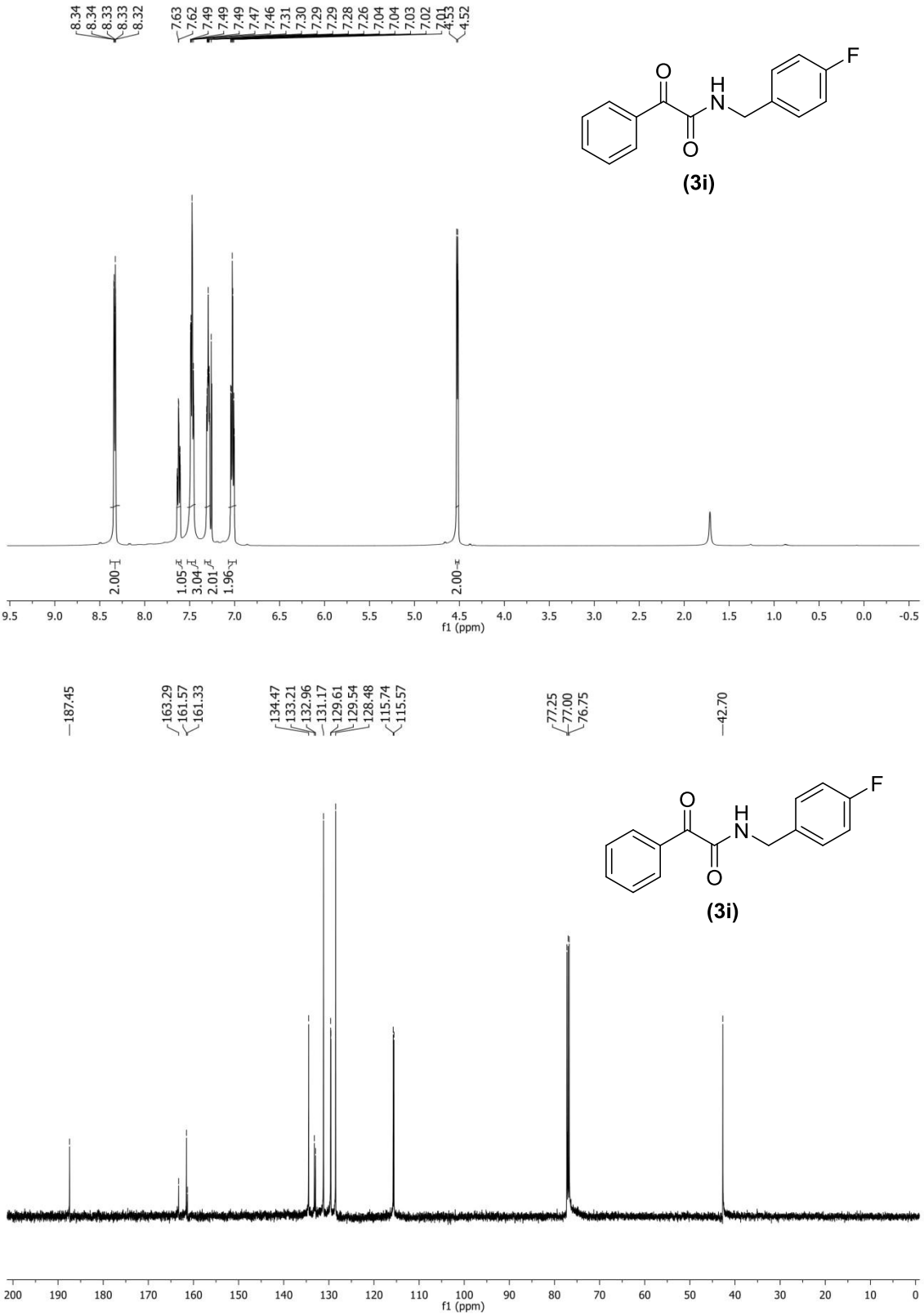


Figure 6.39 ^{1}H and ^{13}C NMR of product 3i in $CDCl_3$.

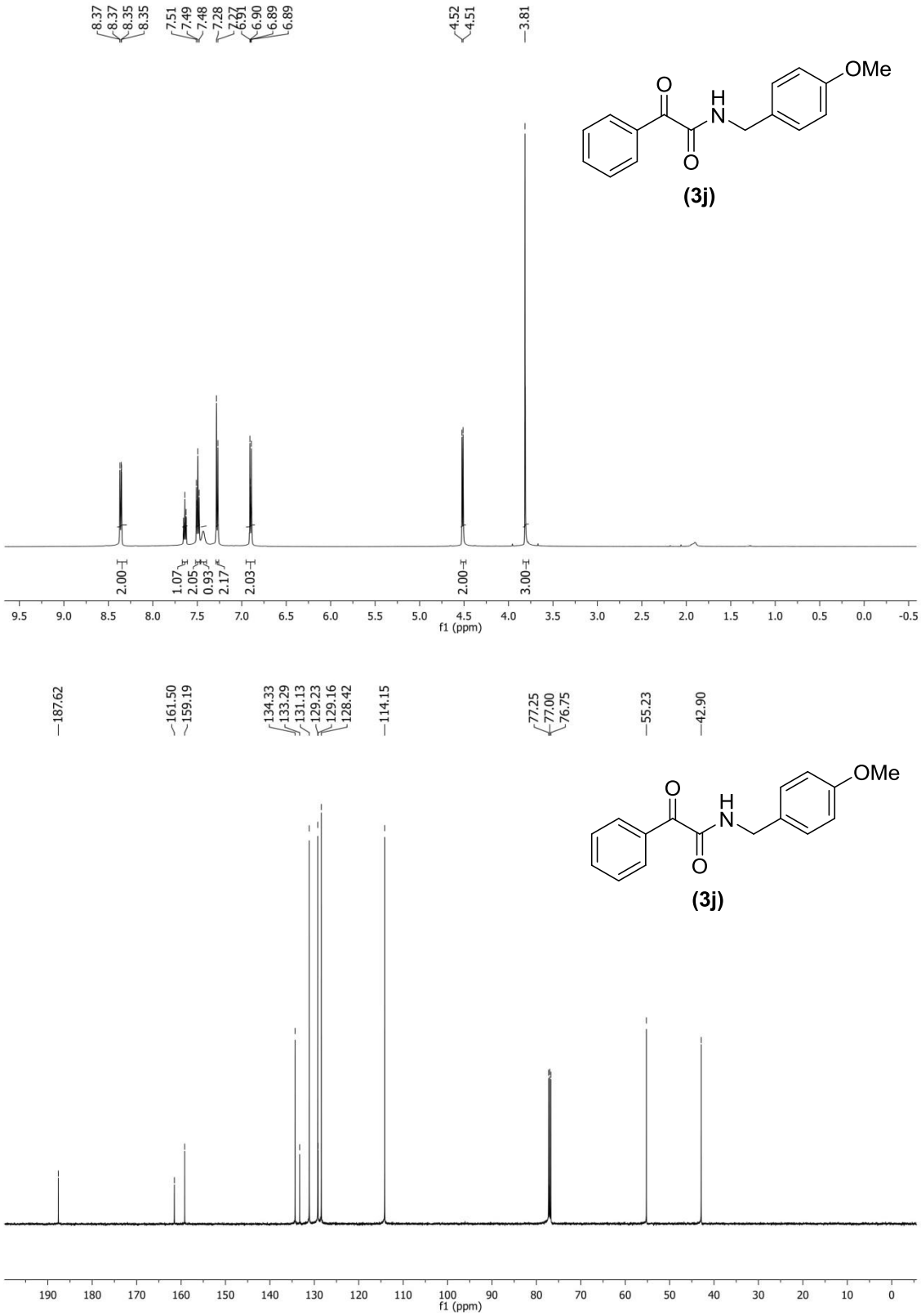


Figure 6.40 ^{1}H and ^{13}C NMR of product 3j in $CDCl_3$.

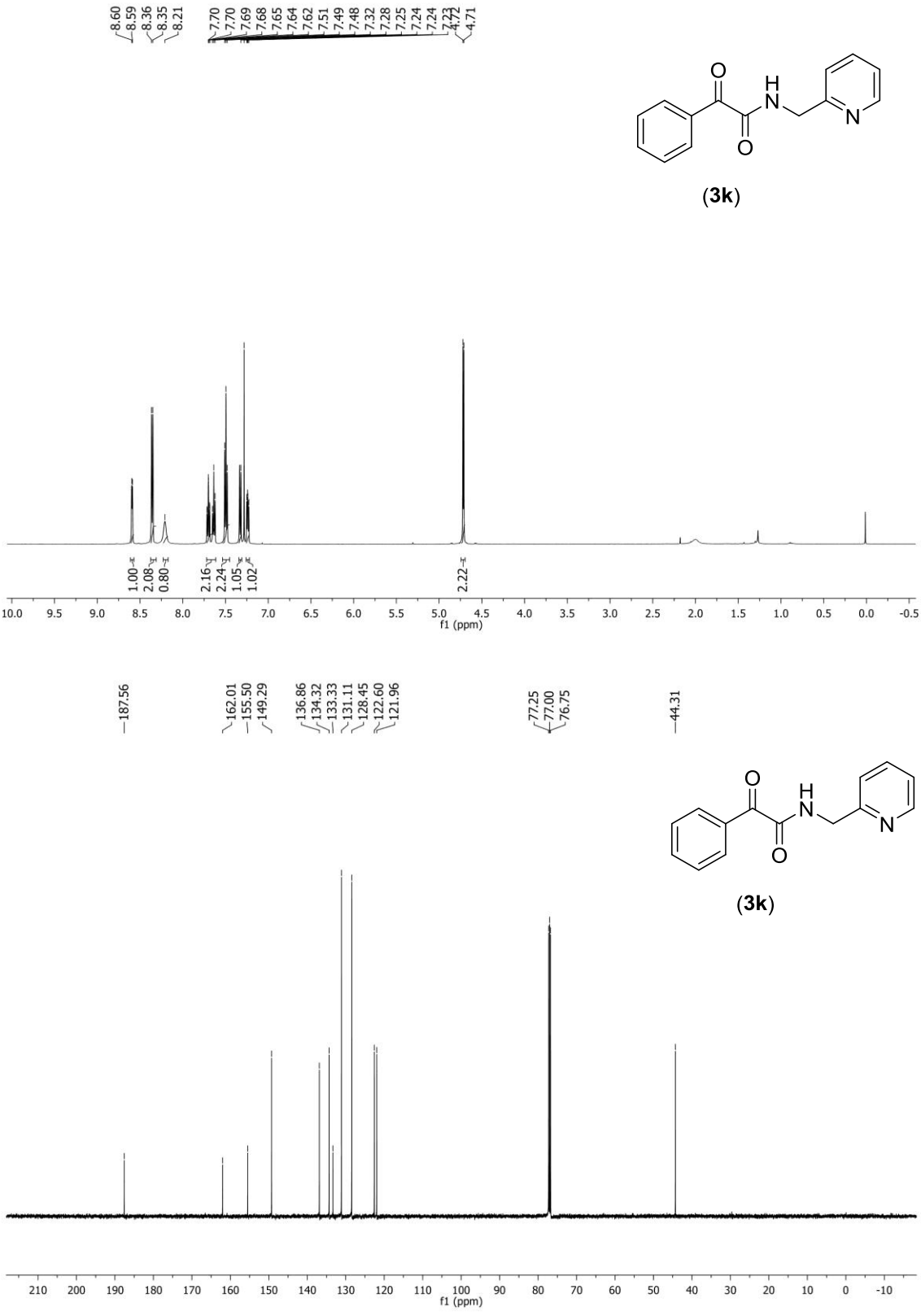


Figure 6.41 ^{1}H and ^{13}C NMR of product 3k in $CDCl_3$.

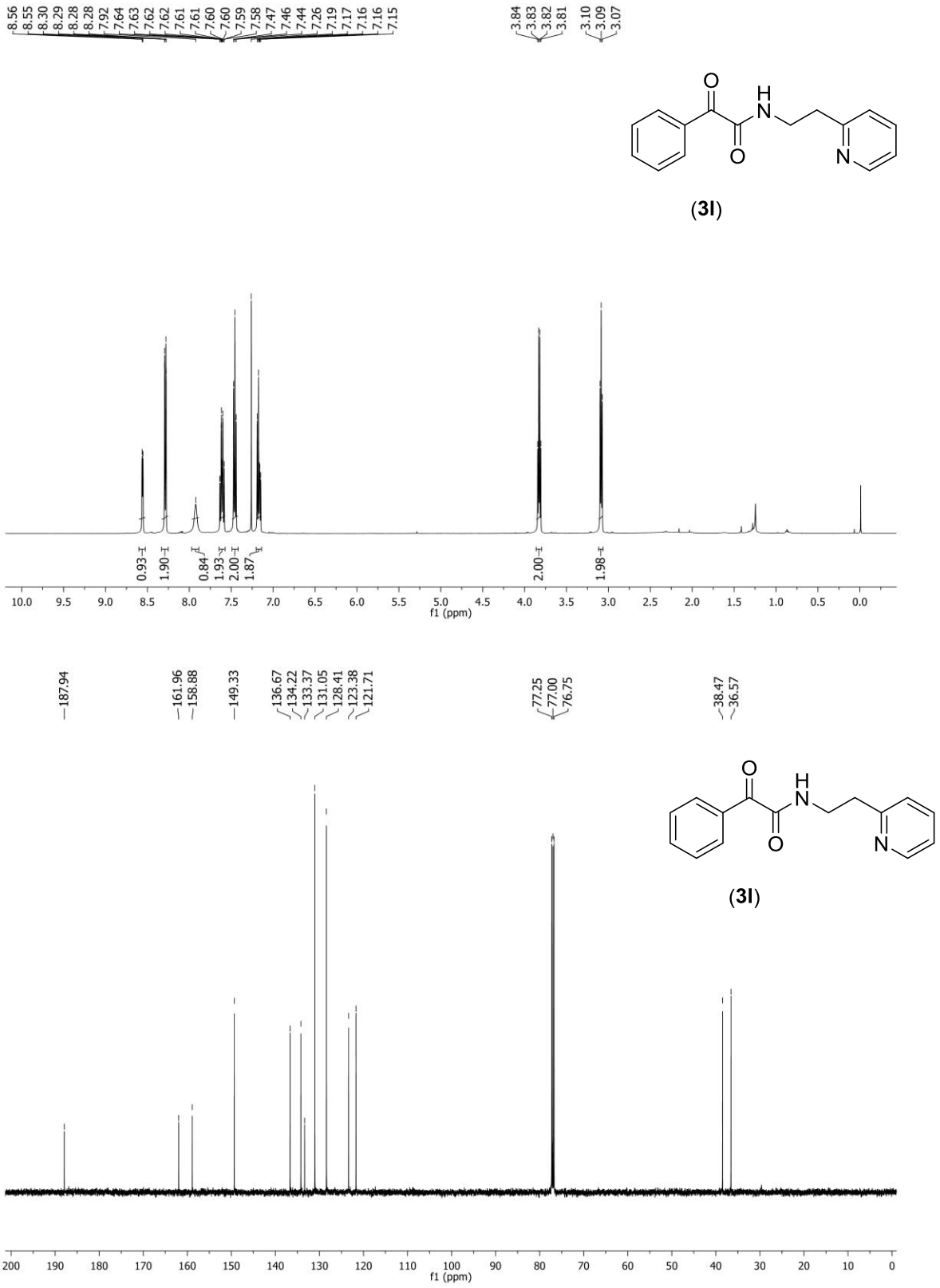


Figure 6.42 ^{1}H and ^{13}C NMR of product 3l in $CDCl_3$.

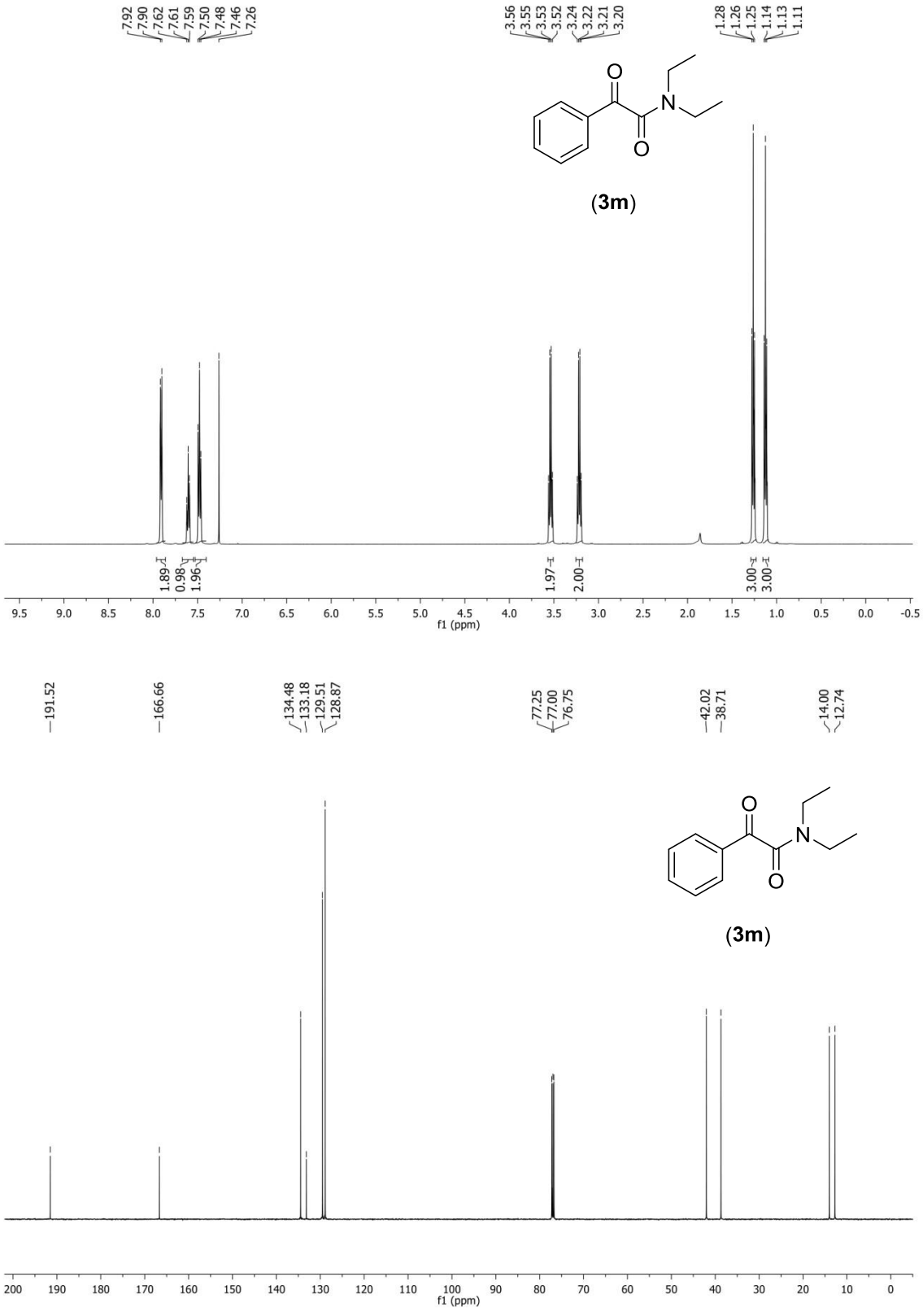


Figure 6.43 ^{1}H and ^{13}C NMR of product 3m in $CDCl_3$.

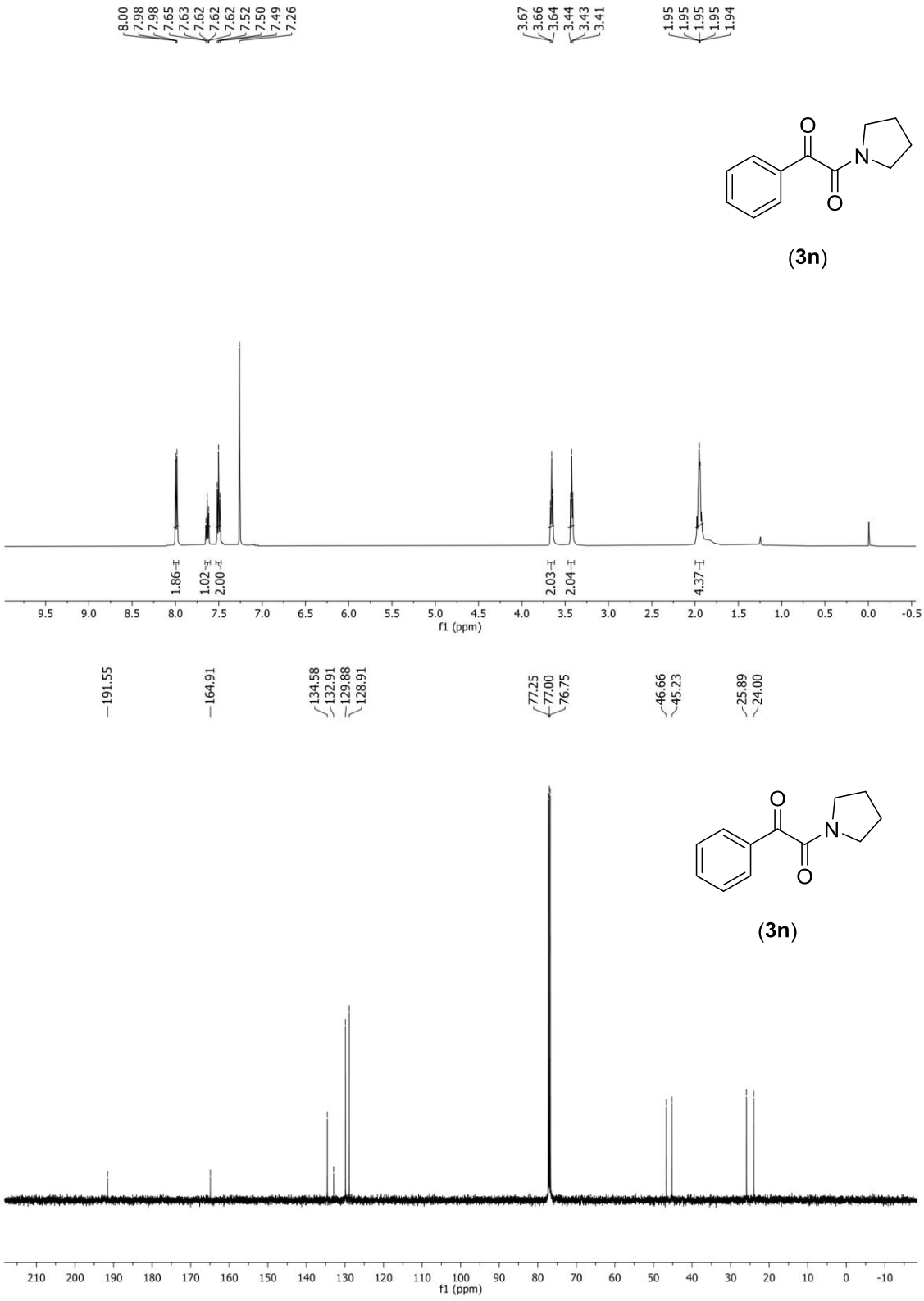


Figure 6.44 ^{1}H and ^{13}C NMR of product 3n in $CDCl_3$.

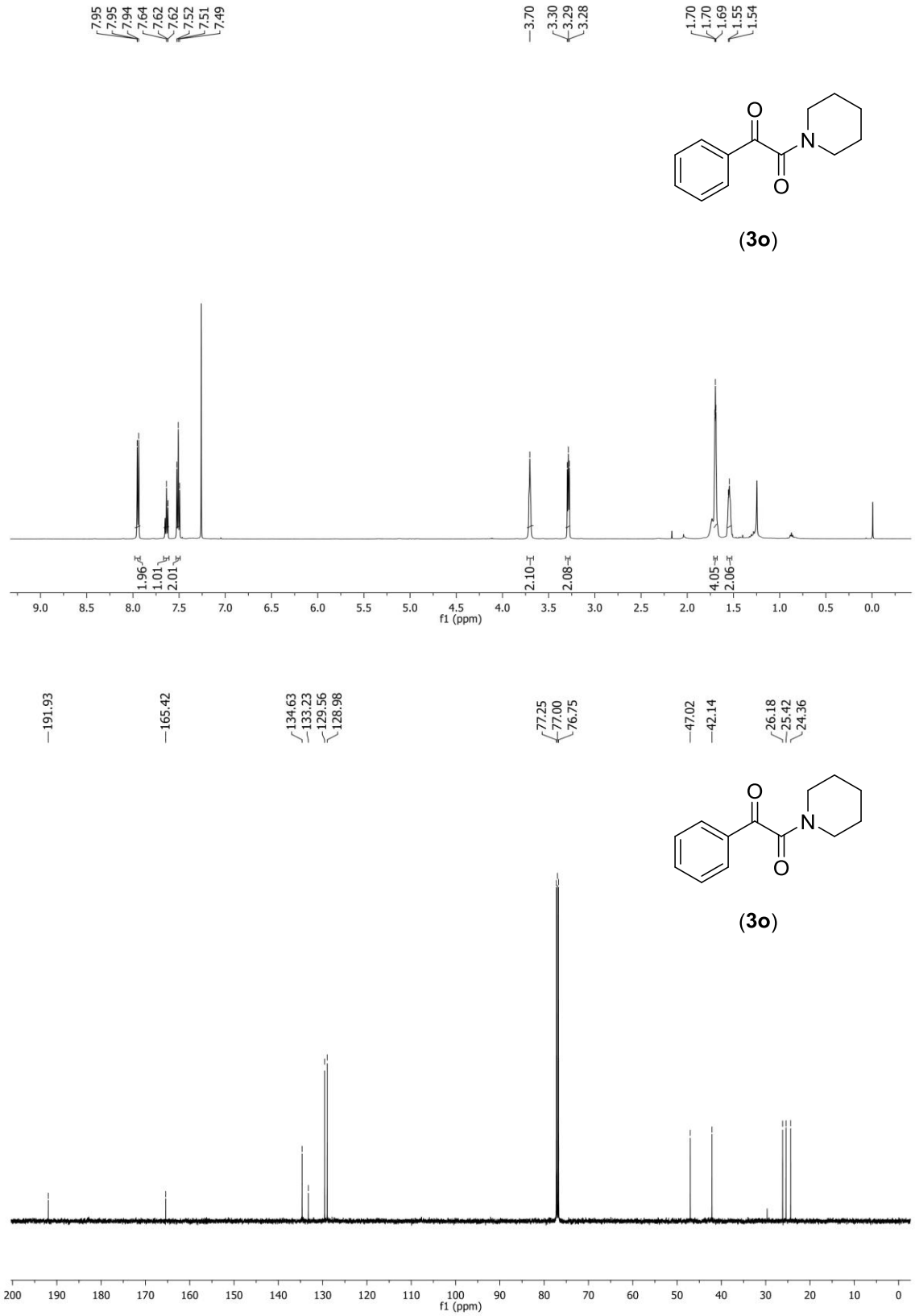


Figure 6.45 ^{1}H and ^{13}C NMR of product 3o in $CDCl_3$.

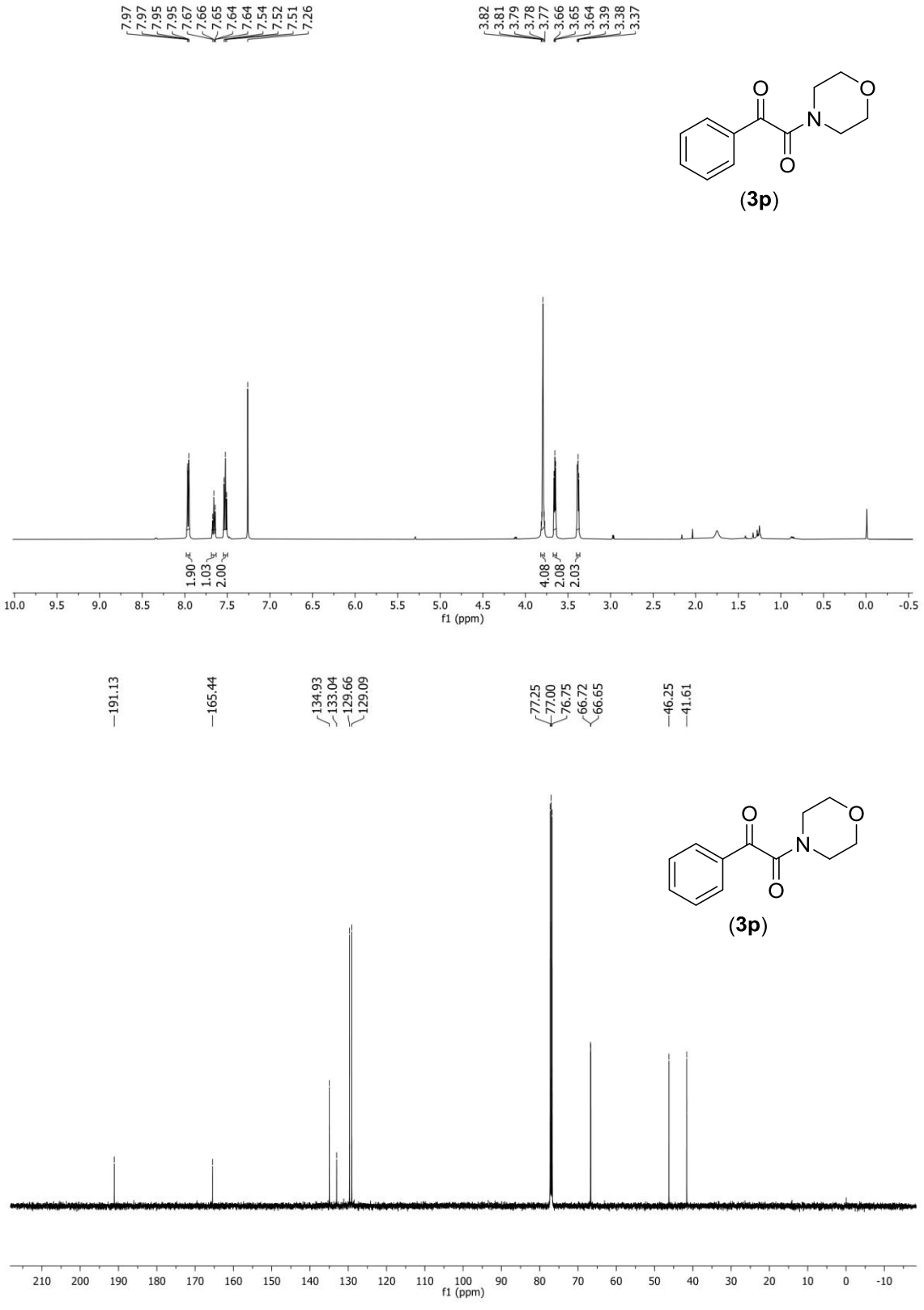


Figure 6.46 ^{1}H and ^{13}C NMR of product 3p in $CDCl_3$.

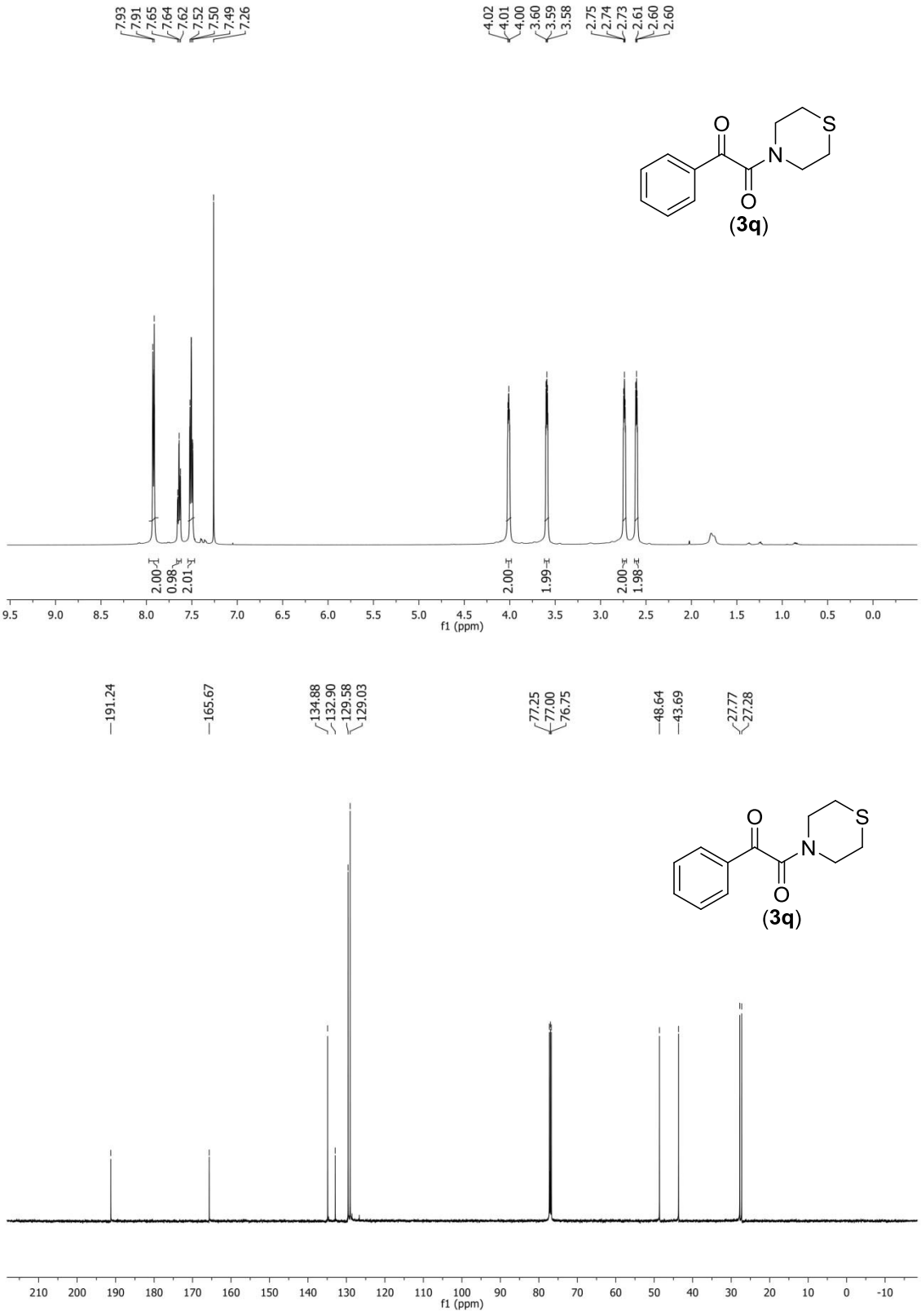


Figure 6.47 ^{1}H and ^{13}C NMR of product 3q in $CDCl_3$.

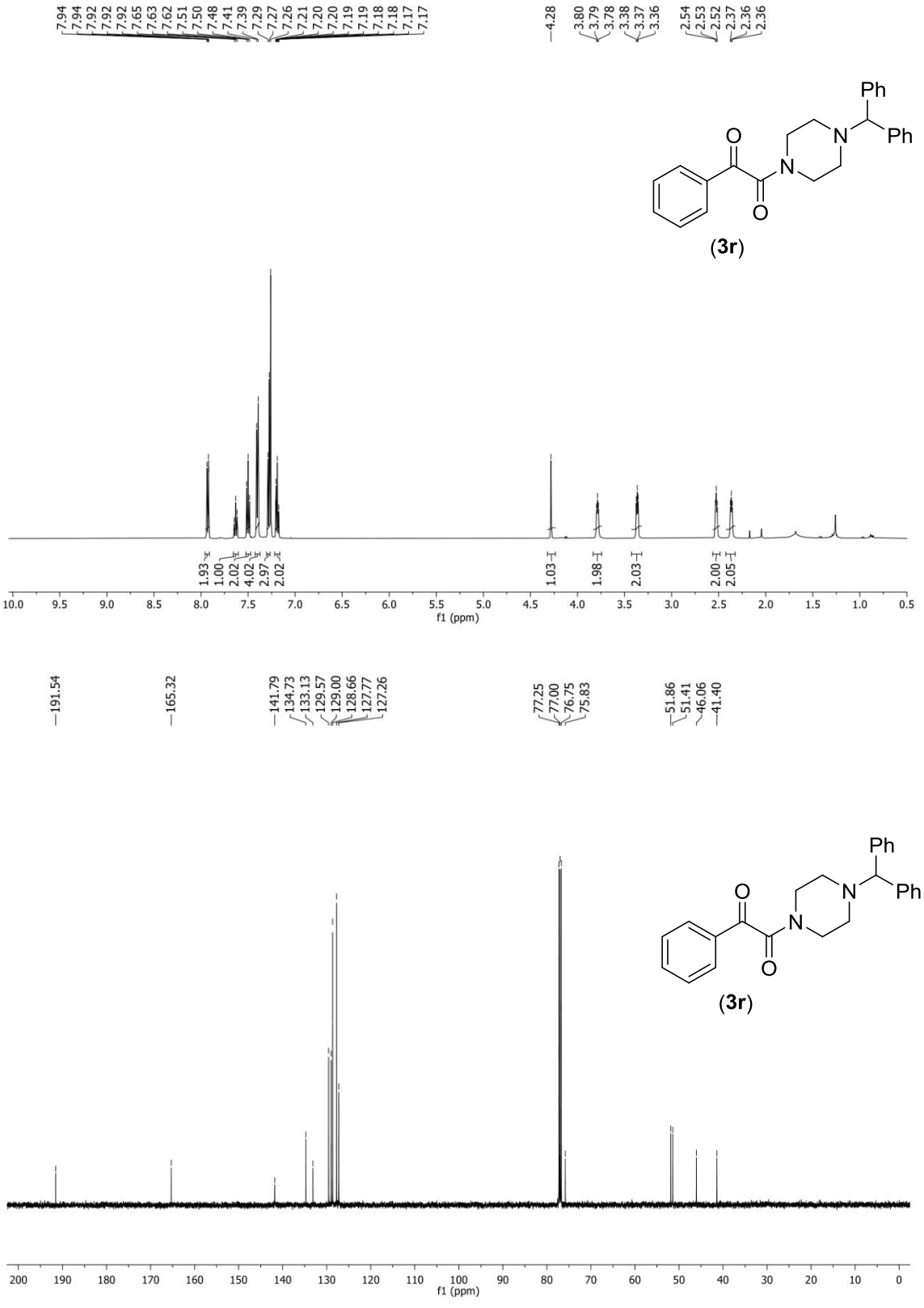


Figure 6.48 ^{1}H and ^{13}C NMR of product 3r in $CDCl_3$.

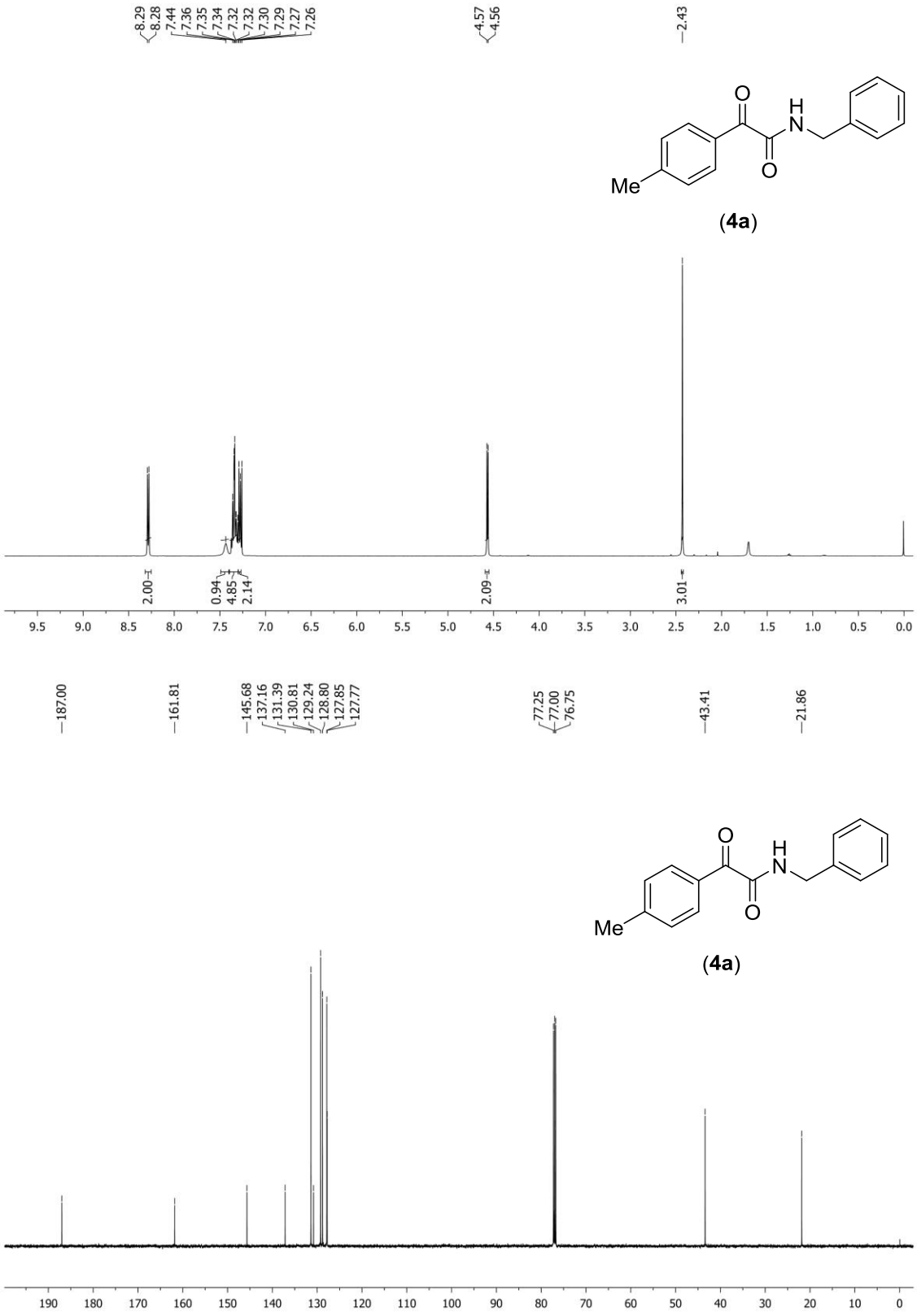


Figure 6.49 ^{1}H and ^{13}C NMR of product 4a in $CDCl_3$.

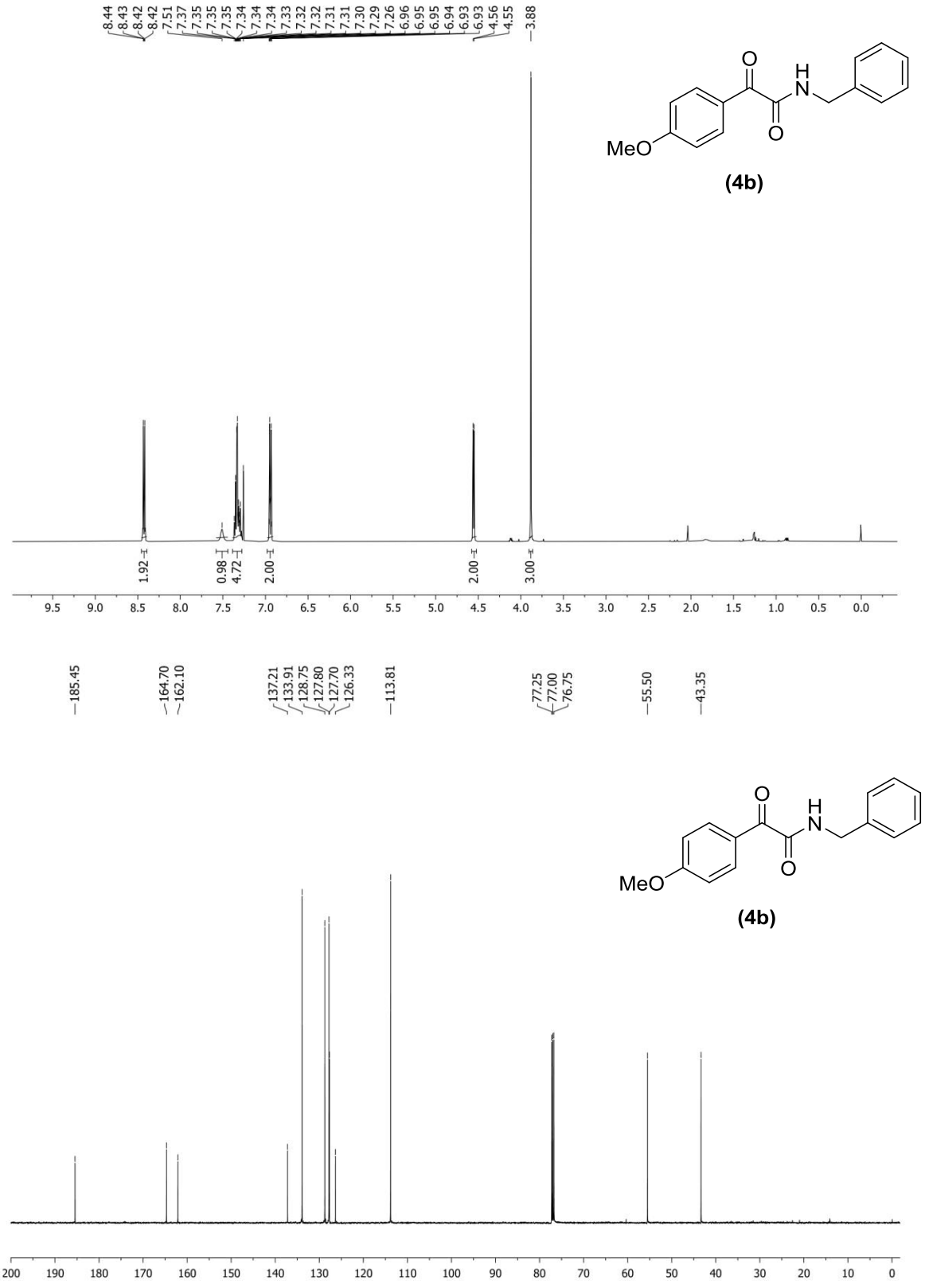


Figure 6.50 ^{1}H and ^{13}C NMR of product 4b in $CDCl_3$.

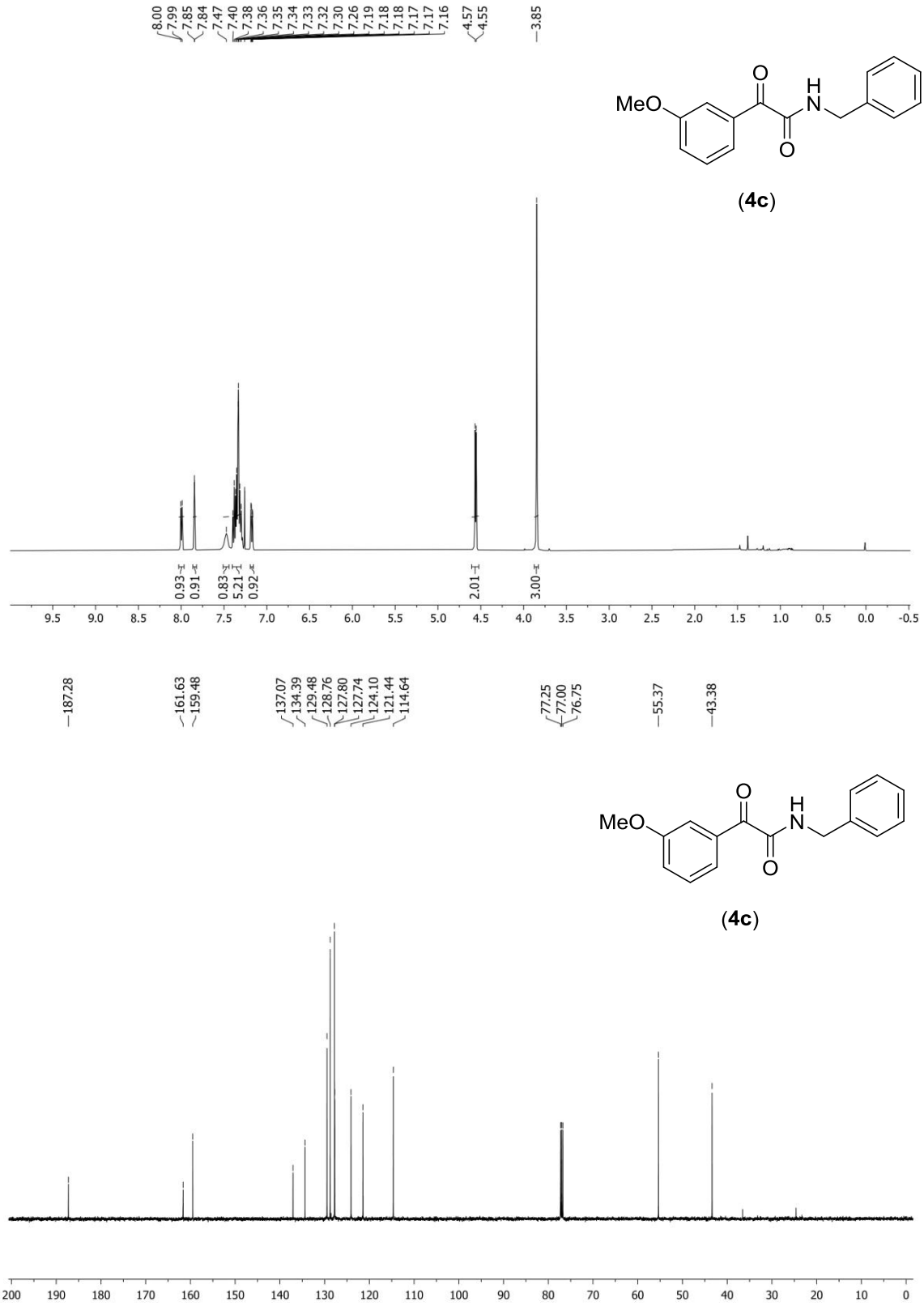


Figure 6.51 ^{1}H and ^{13}C NMR of product 4c in $CDCl_3$.

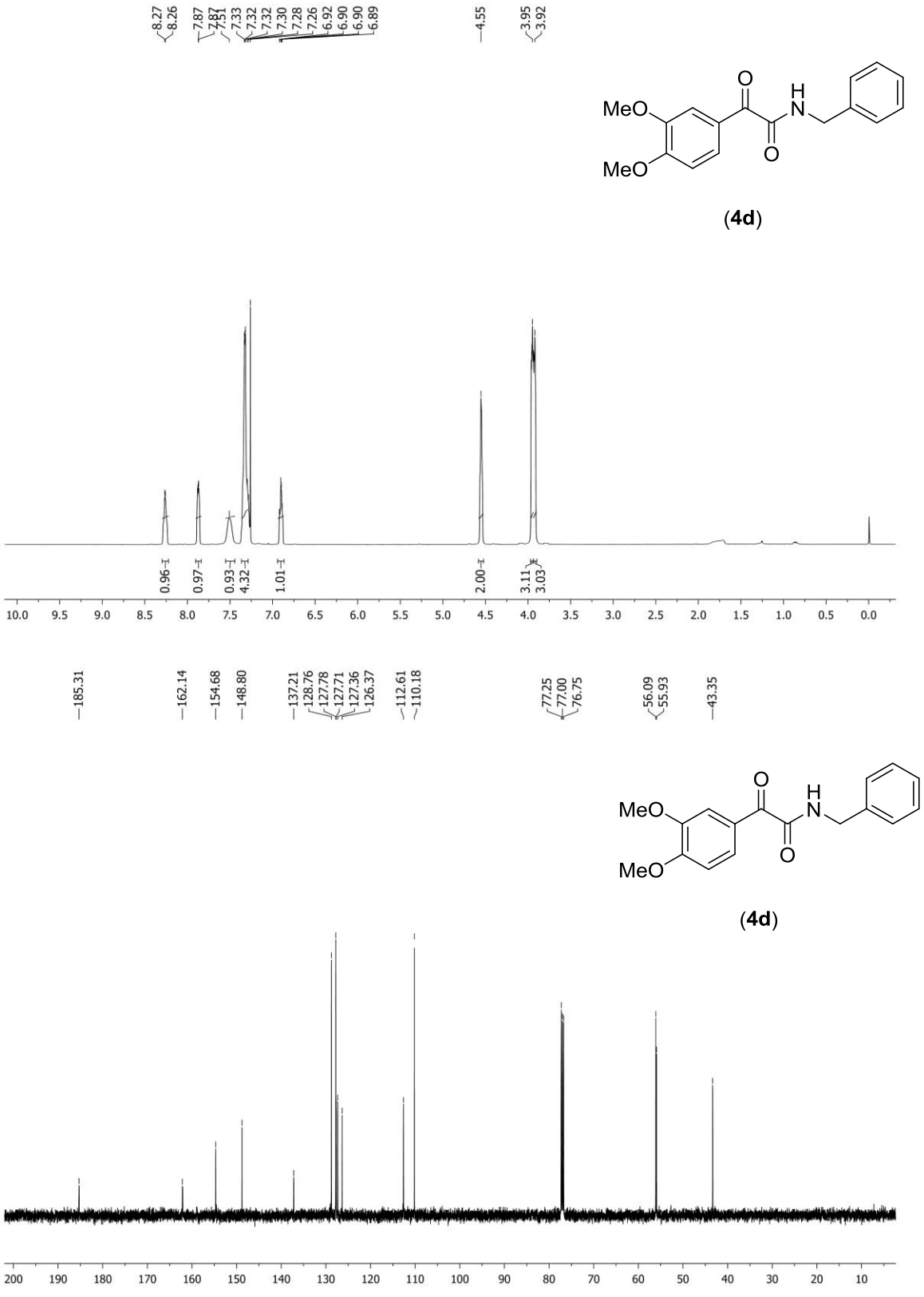


Figure 6.52 ^{1}H and ^{13}C NMR of product 4d in $CDCl_3$.

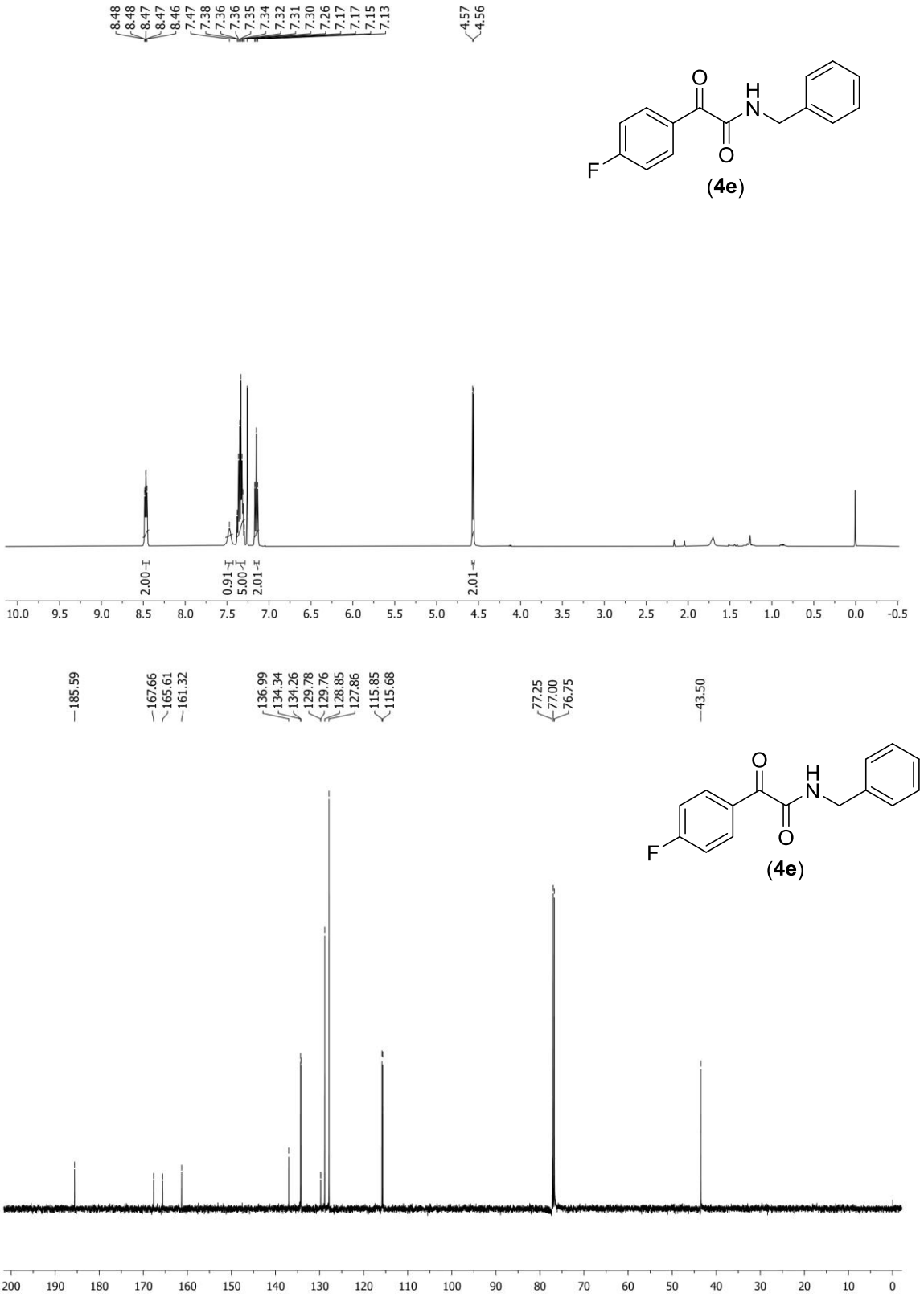


Figure 6.53 ^{1}H and ^{13}C NMR of product 4e in $CDCl_3$

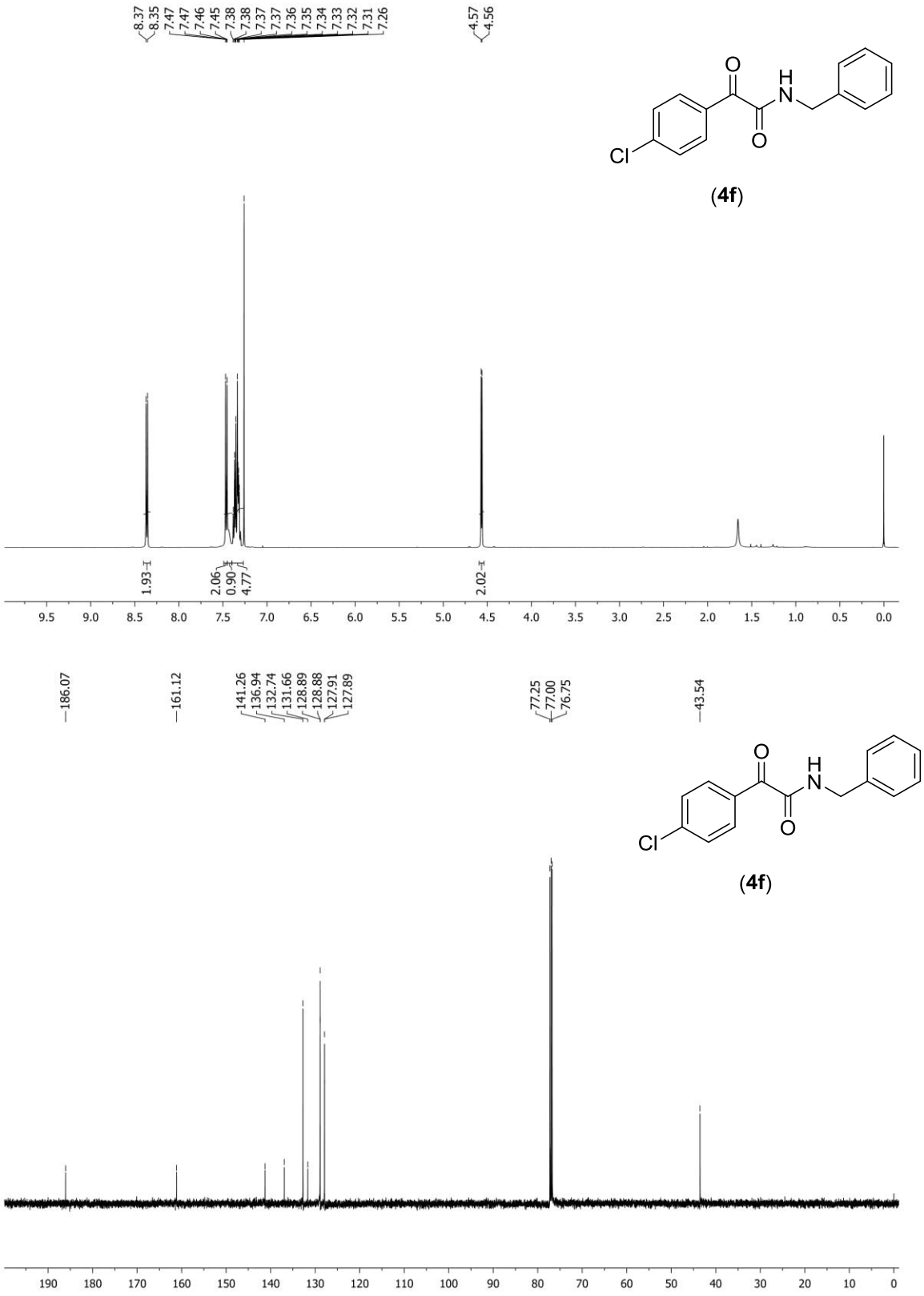


Figure 6.54 ^{1}H and ^{13}C NMR of product 4f in $CDCl_3$.

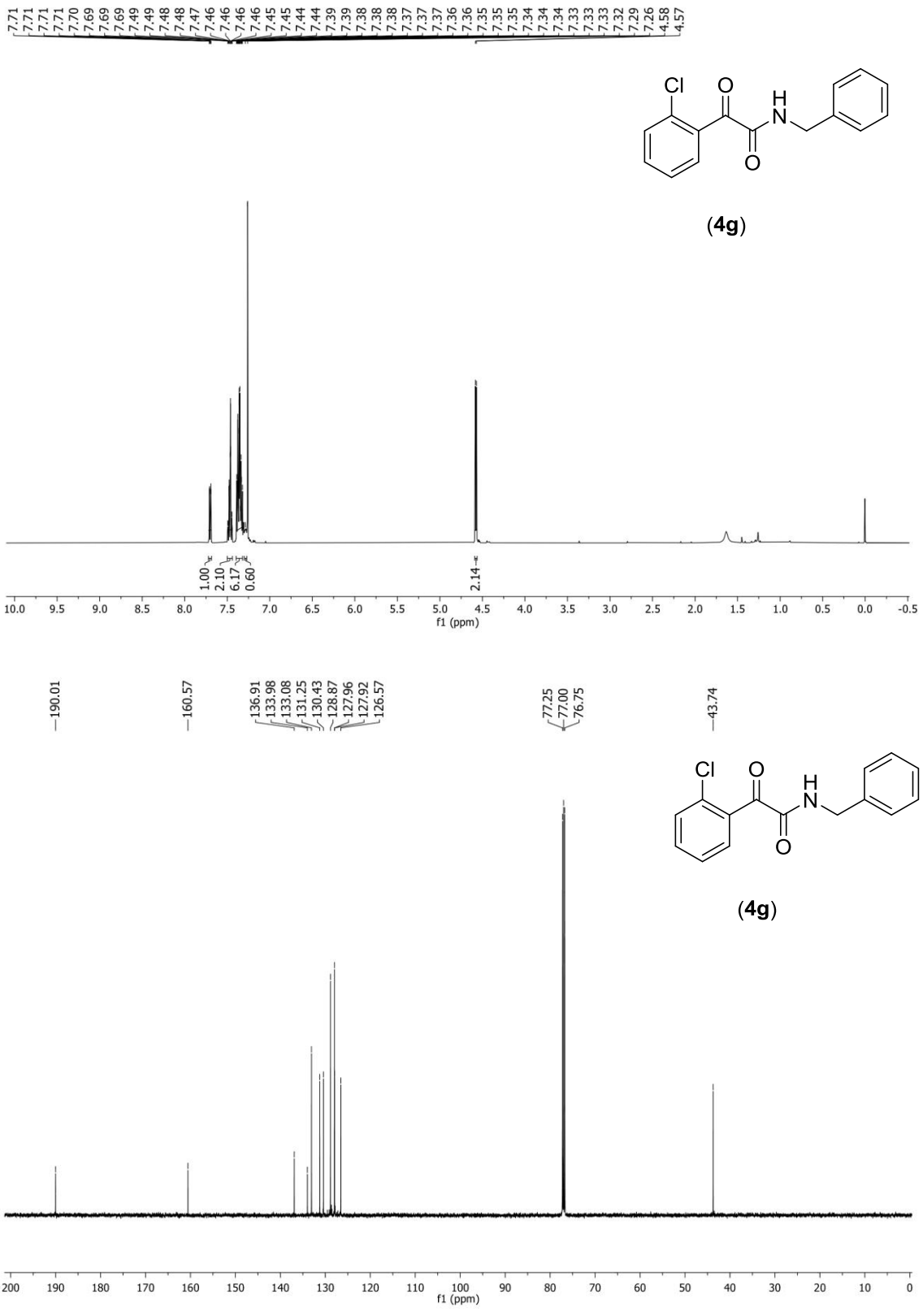


Figure 6.55 ^{1}H and ^{13}C NMR of product 4g in $CDCl_3$.

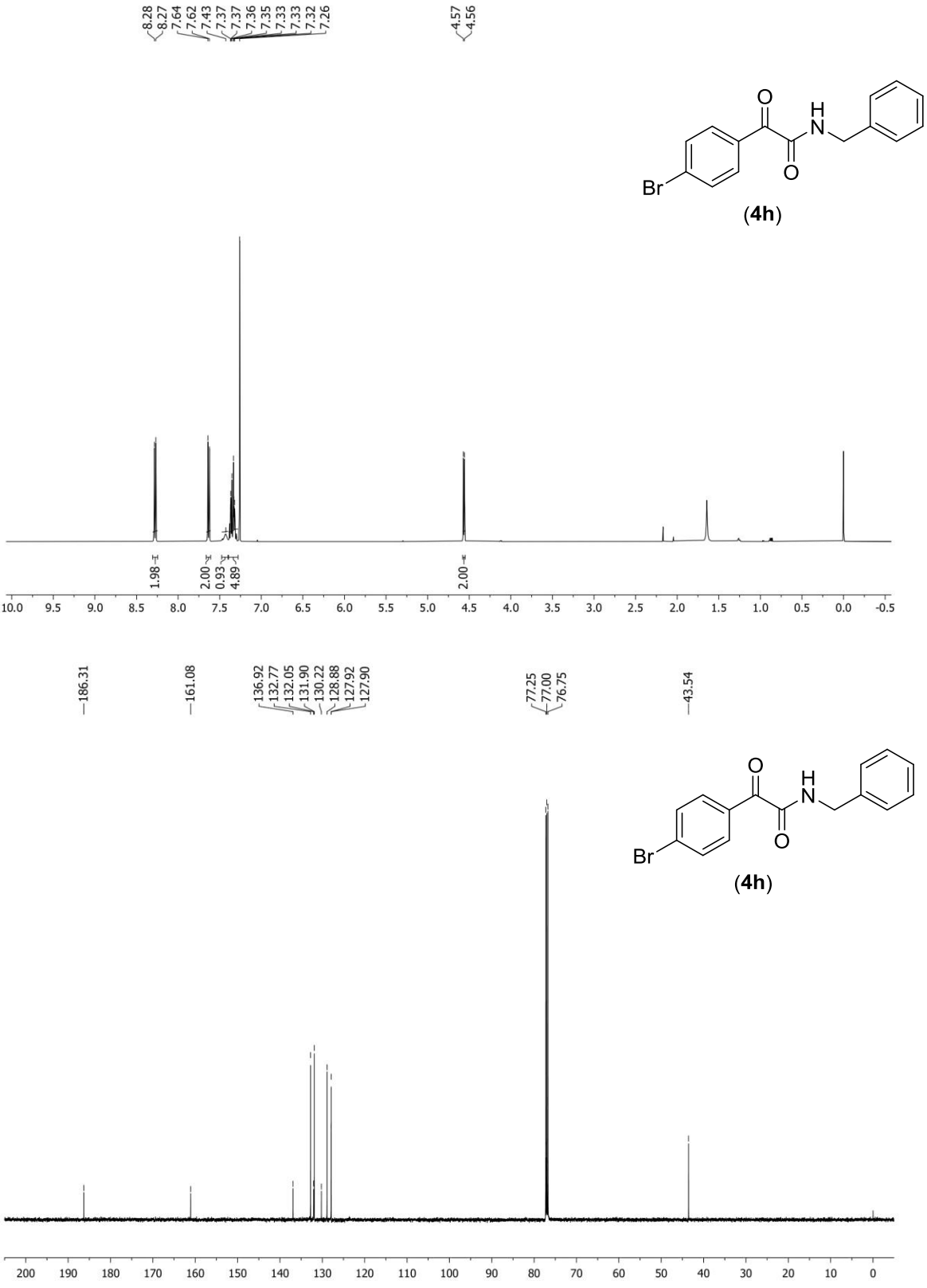


Figure 6.56 ^{1}H and ^{13}C NMR of product 4h in $CDCl_3$.

Figure 6.57 ^{1}H and ^{13}C of product 4i in $CDCl_3$.

Figure 6.58 ^{1}H and ^{13}C of product 4j in $CDCl_3$.

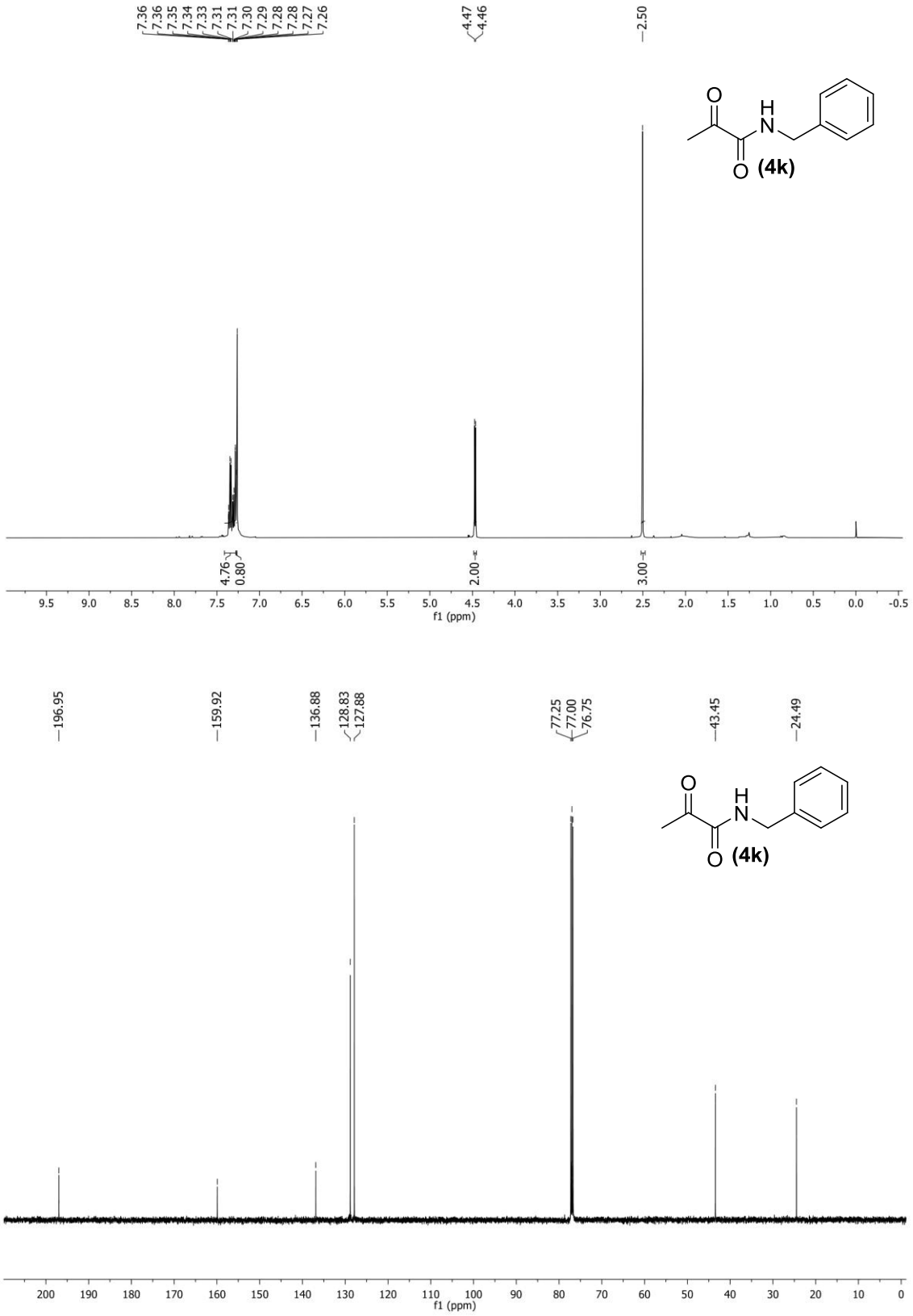


Figure 6.59 ^{1}H and ^{3}C of product 4k in $CDCl_3$.

HRMS SPECTRA:

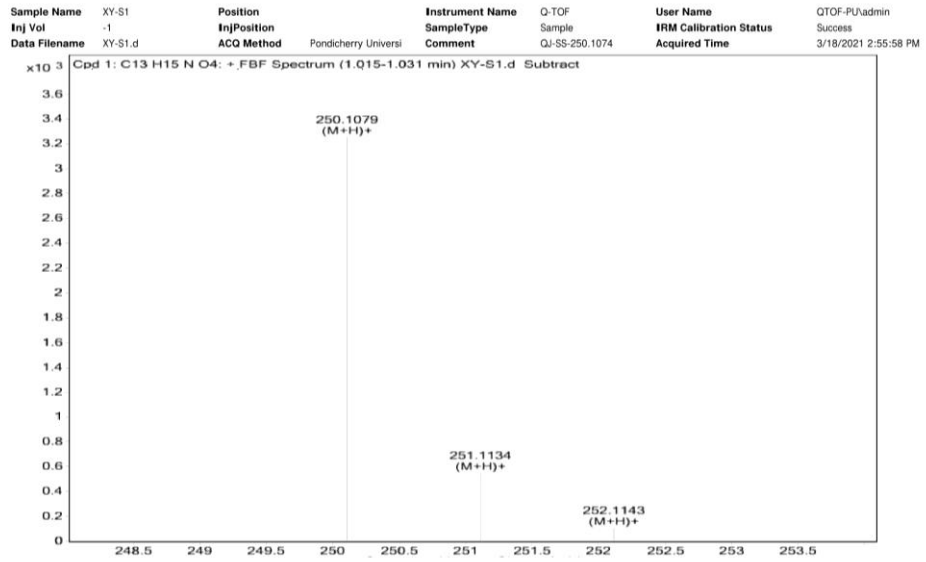


Figure 6.60 HRMS of compound **(1f):**

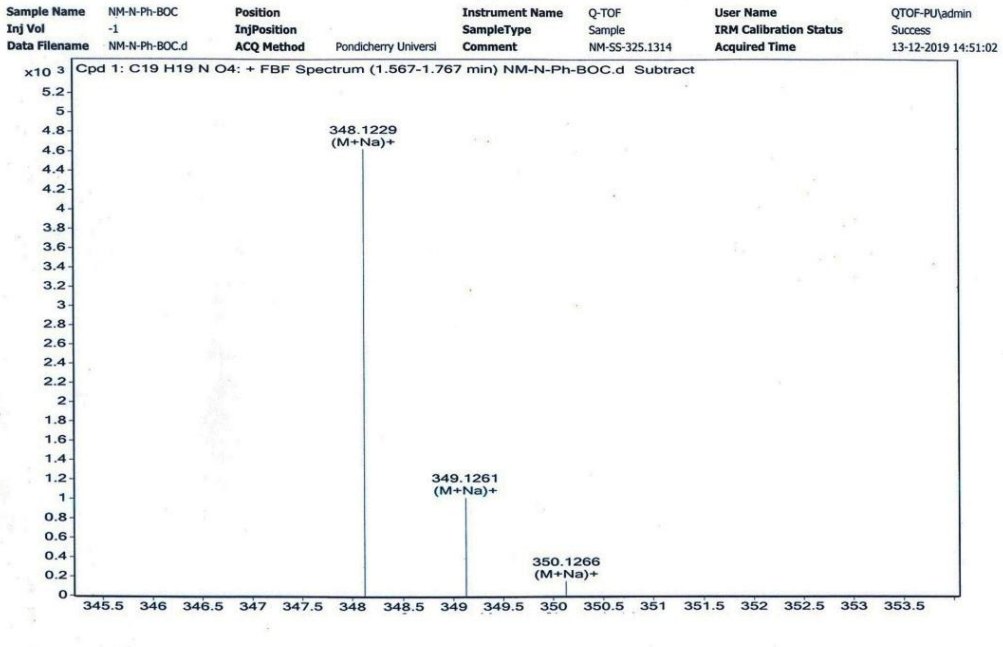


Figure 6.61 HRMS of compound **(1g):**

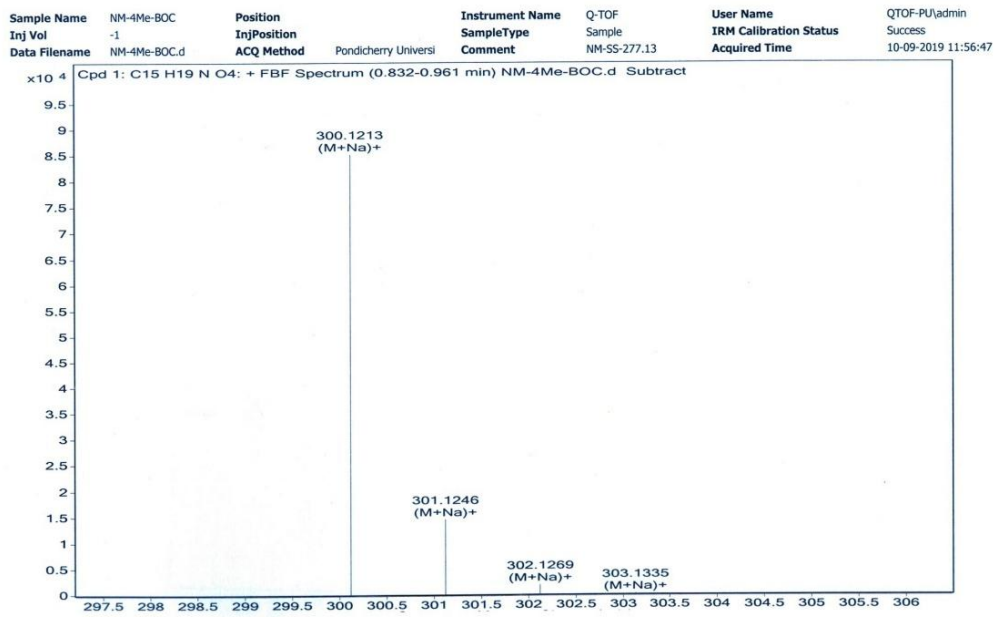


Figure 6.62 HRMS of compound **(1ha):**

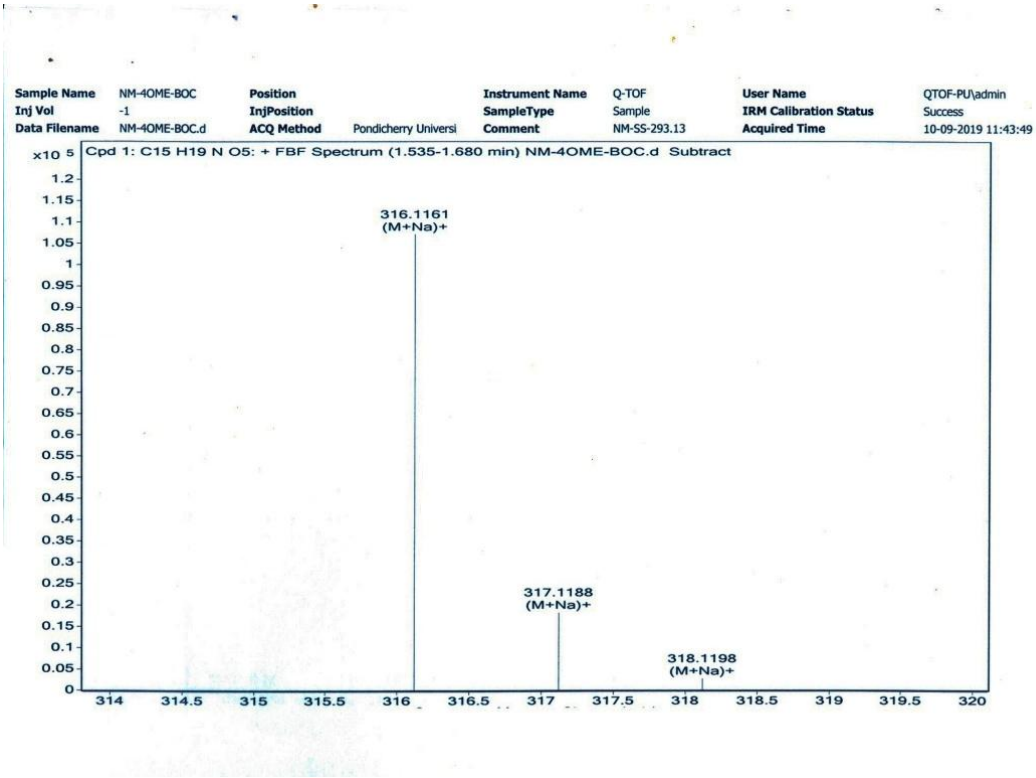


Figure 6.63 HRMS of compound **(1hb):**

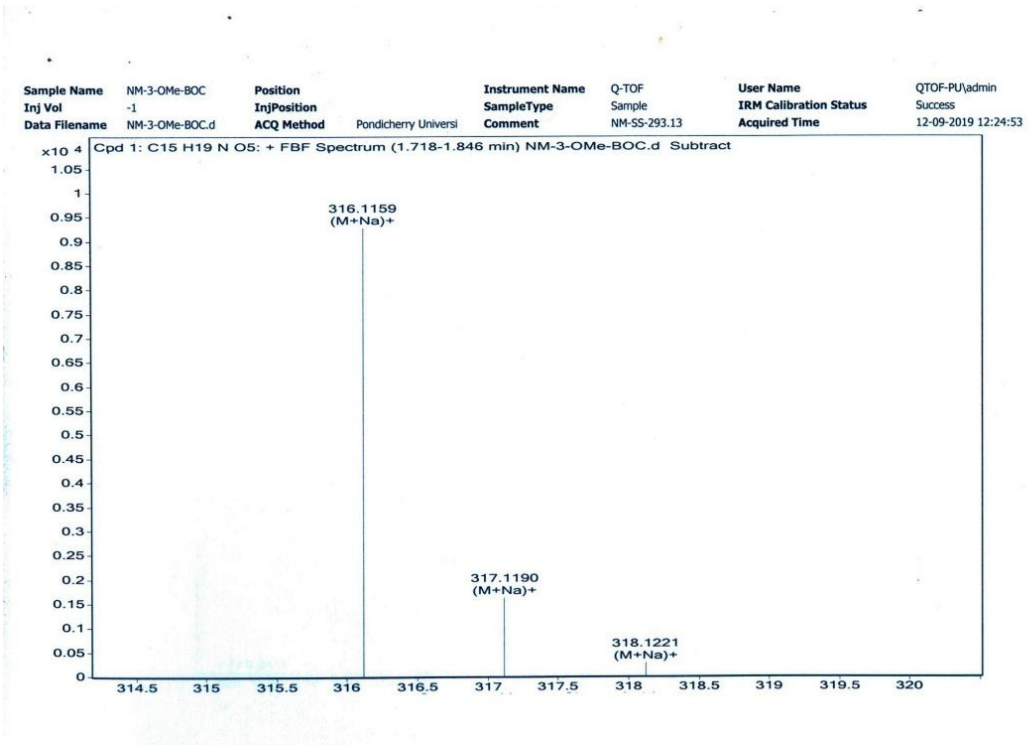


Figure 6.64 HRMS of compound **(1hc):**

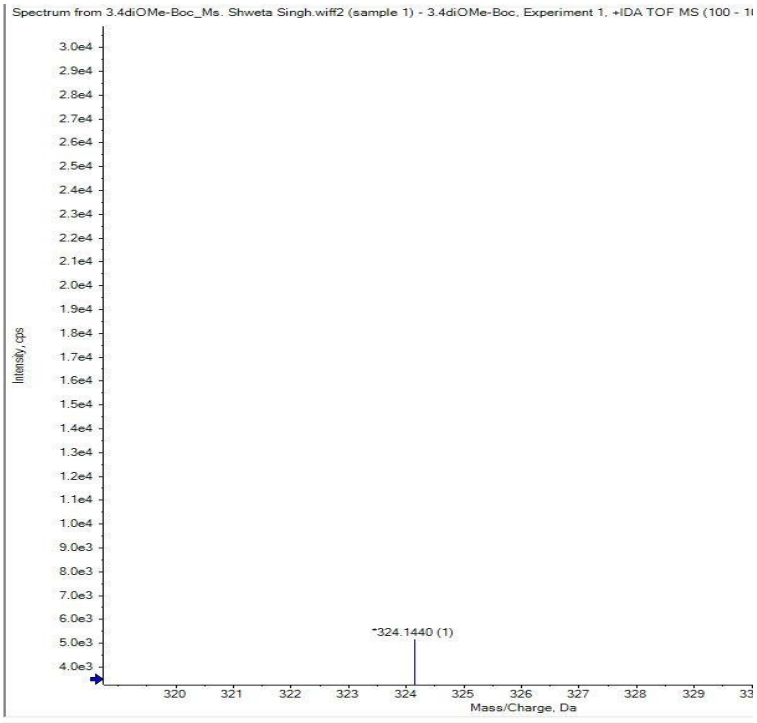


Figure 6.65 HRMS of compound **(1hd):**

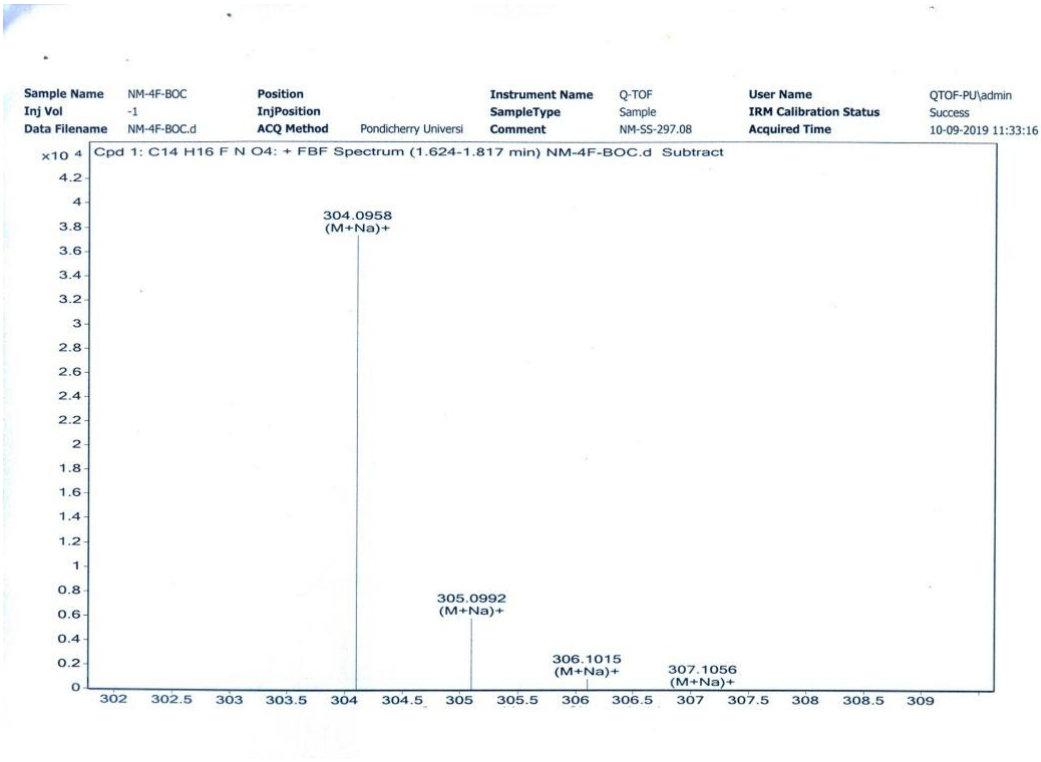


Figure 6.66 HRMS of compound **(1he):**

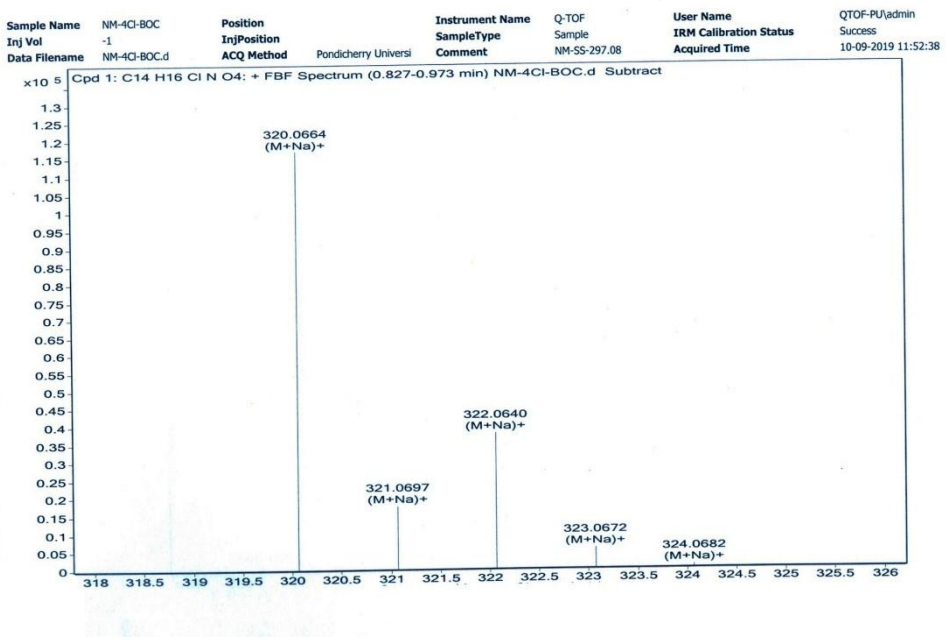


Figure 6.67 HRMS of compound **(1hf):**

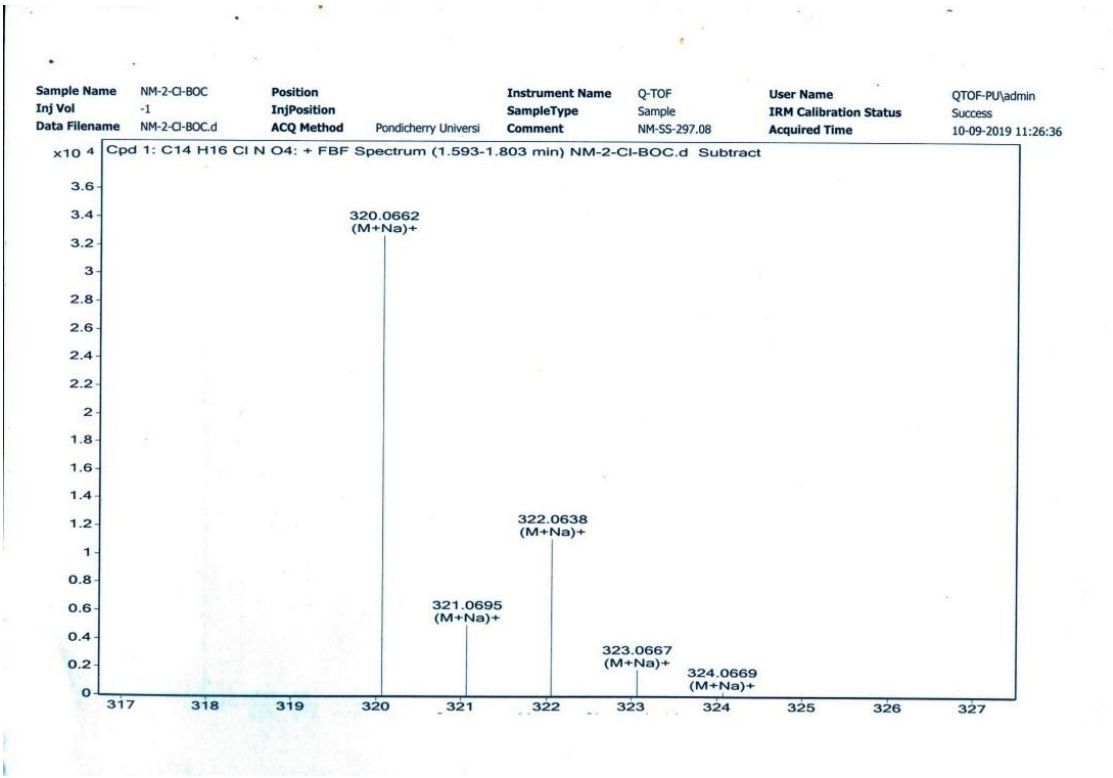


Figure 6.68 HRMS of compound **(1hg):**

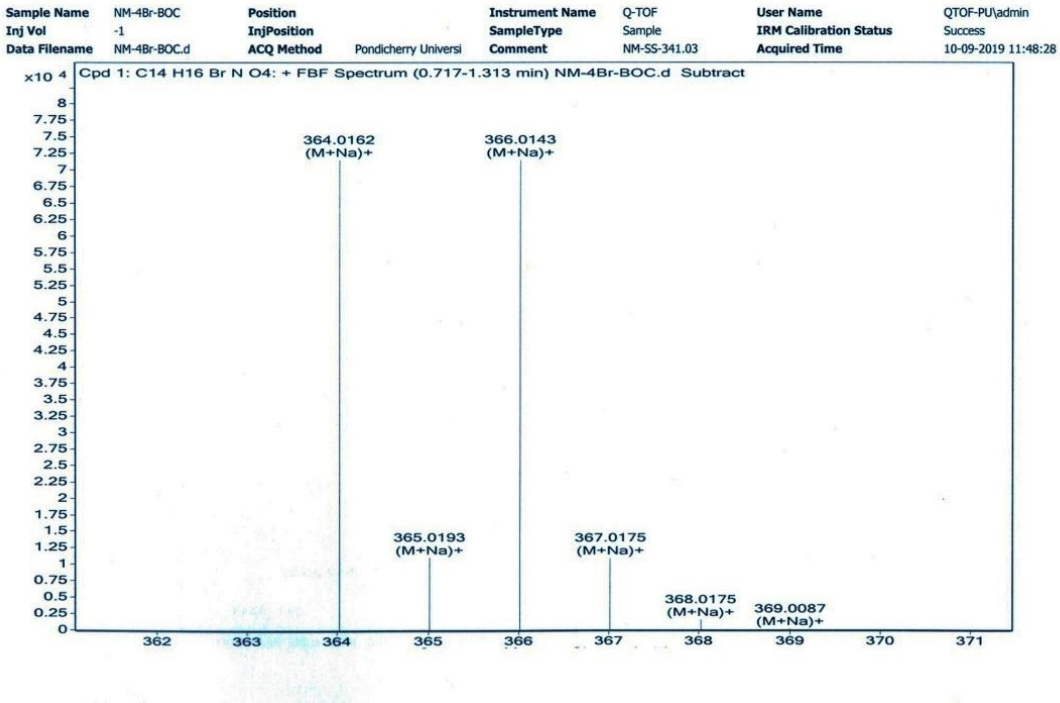


Figure 6.69 HRMS of compound **(1hh):**

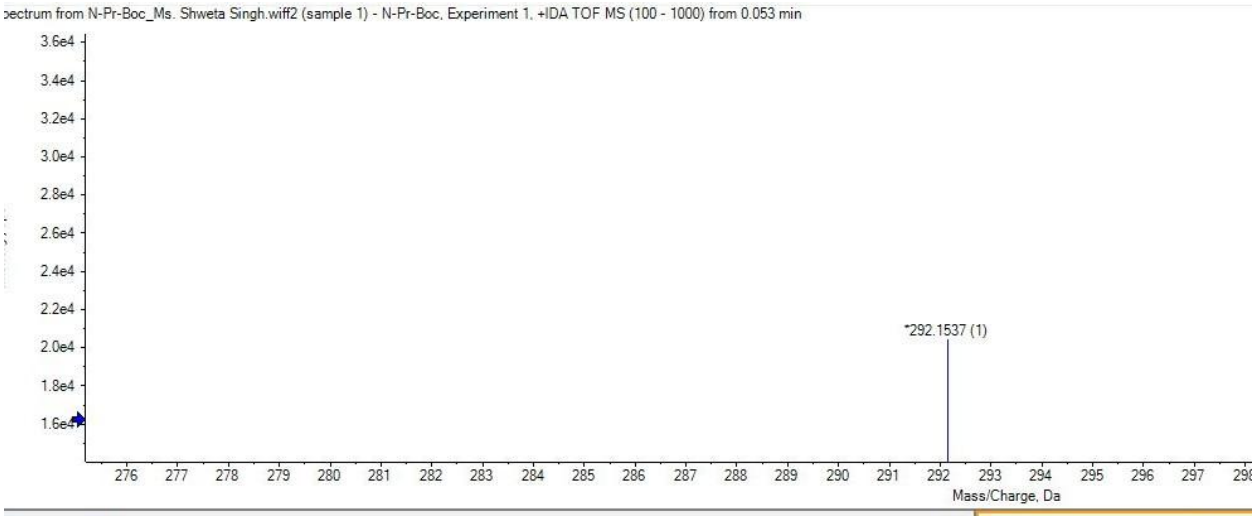


Figure 6.70 HRMS of compound **(1i):**

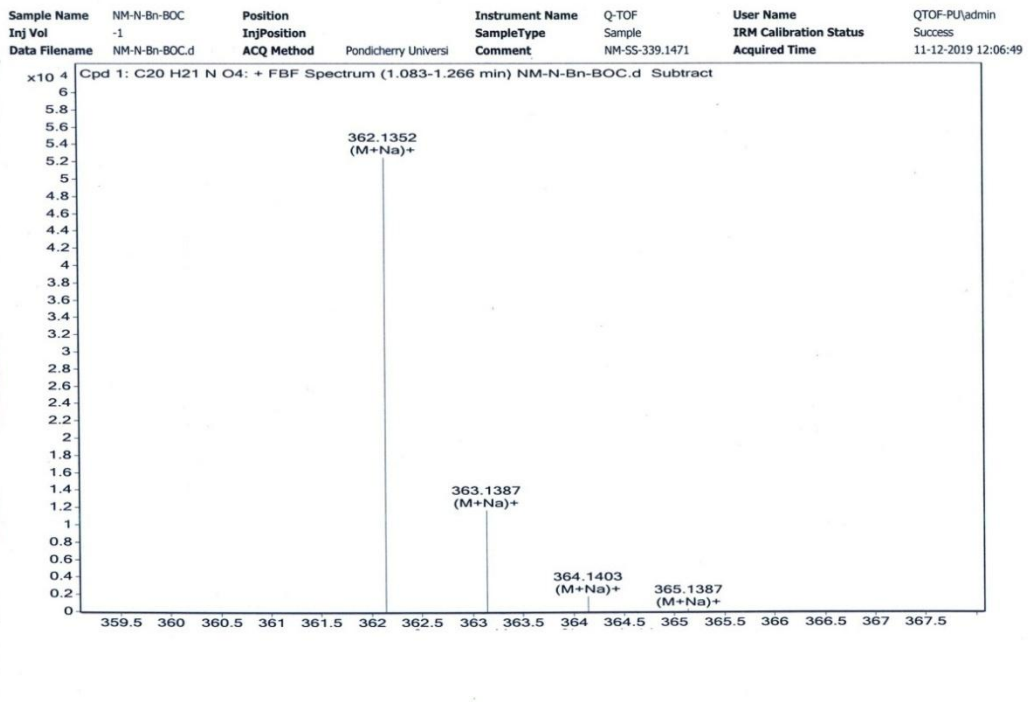


Figure 6.71 HRMS of compound **(1j):**

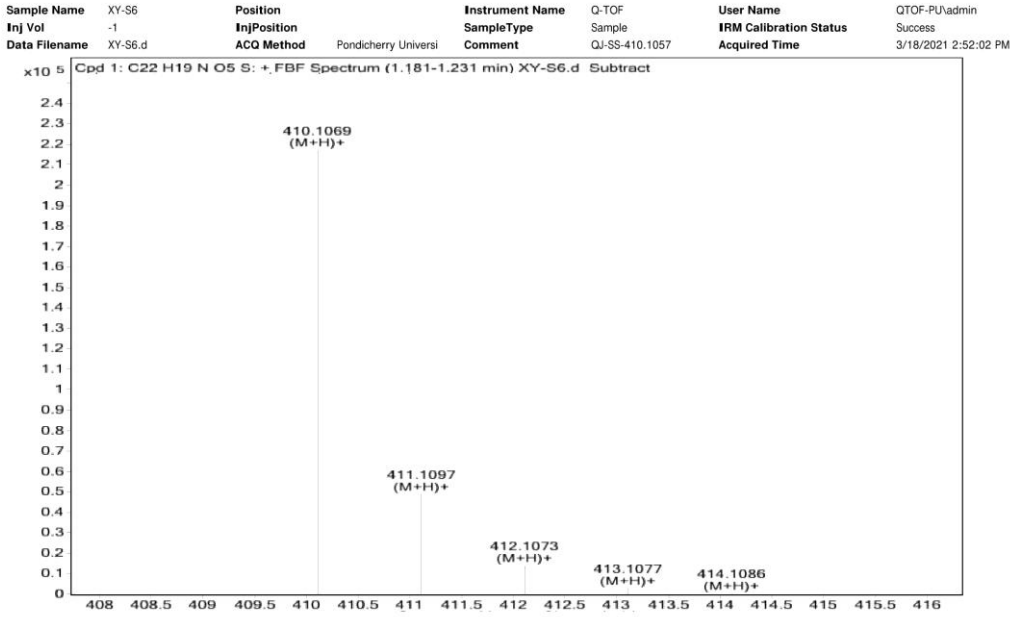


Figure 6.72 HRMS of compound **(1kc):**

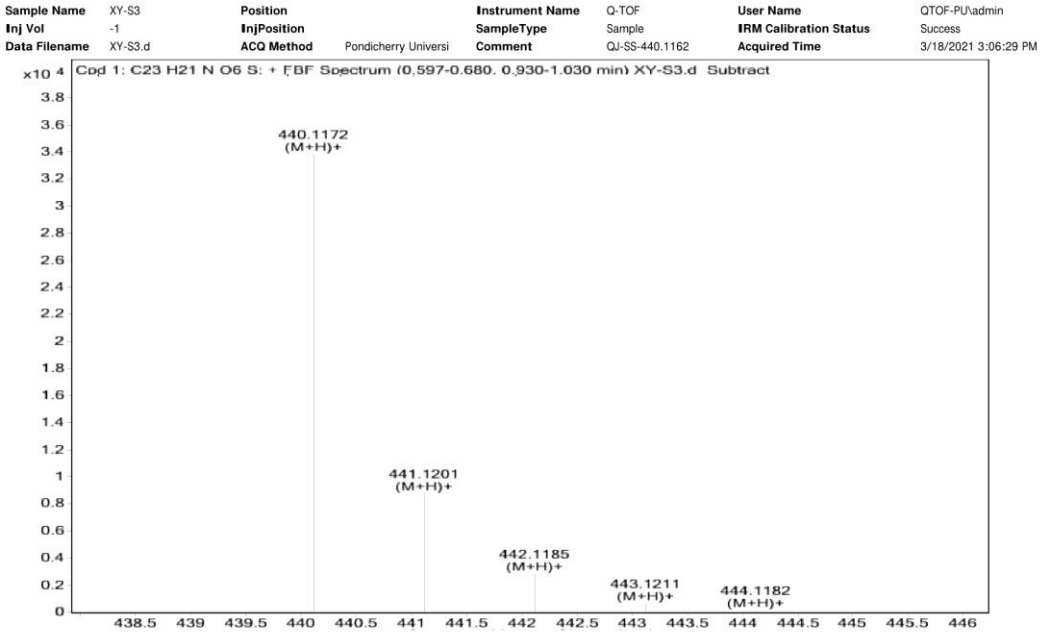


Figure 6.73 HRMS of compound **(1kd):**

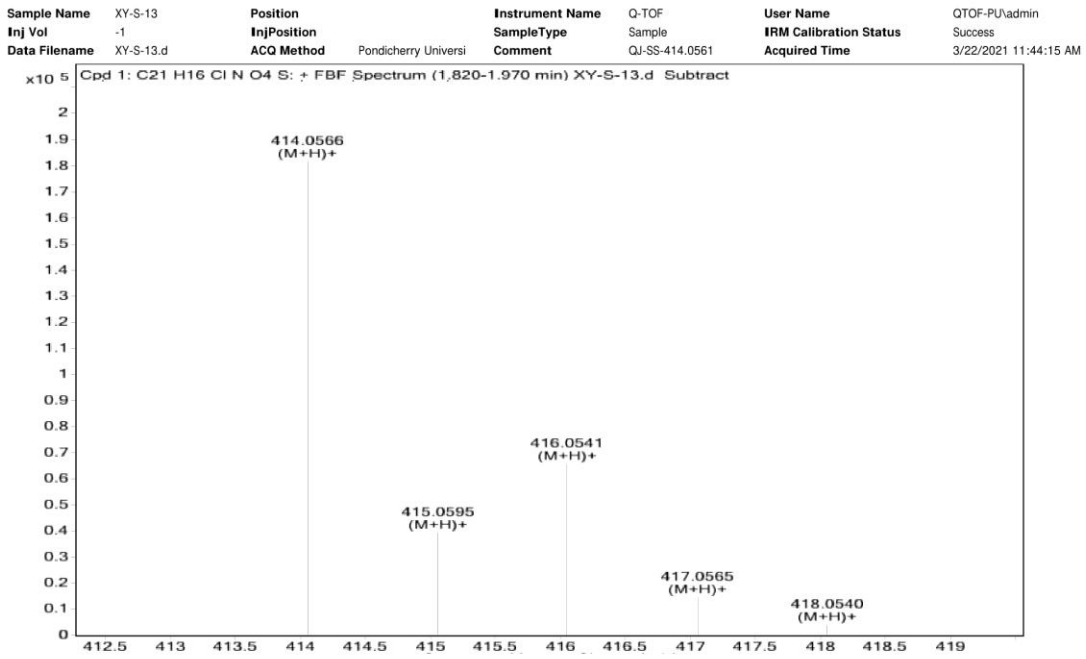


Figure 6.74 HRMS of compound **(1kg):**

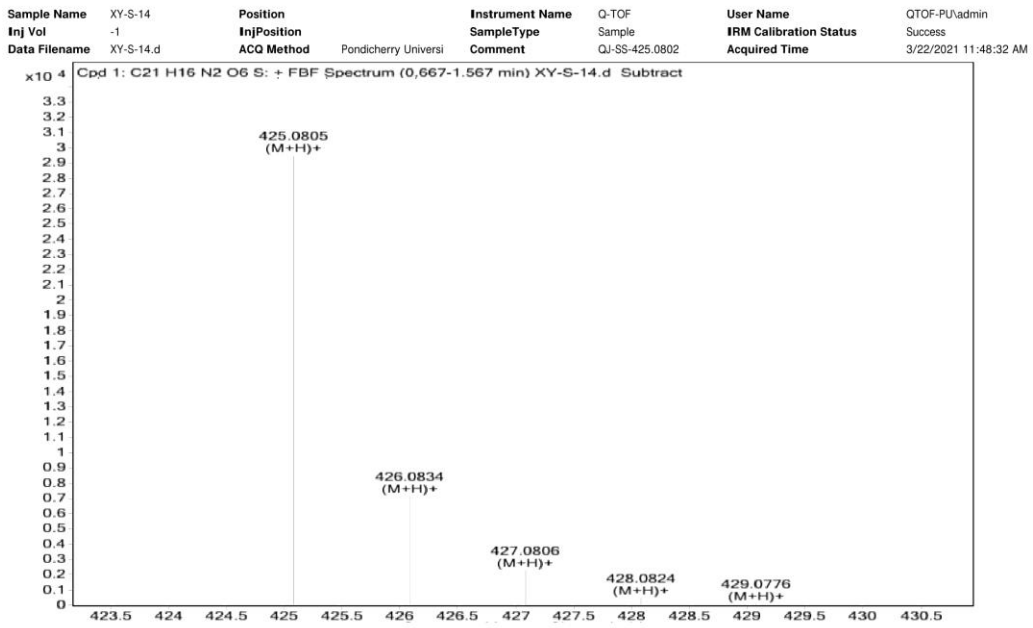


Figure 6.75 HRMS of compound **(1ki):**

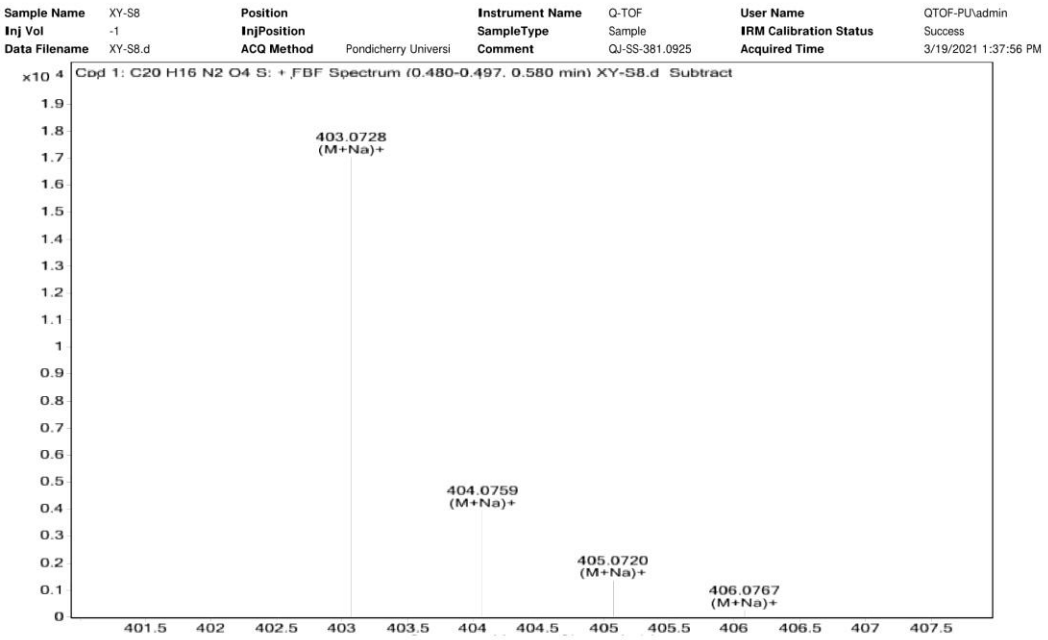


Figure 6.76 HRMS of compound **(1kj):**

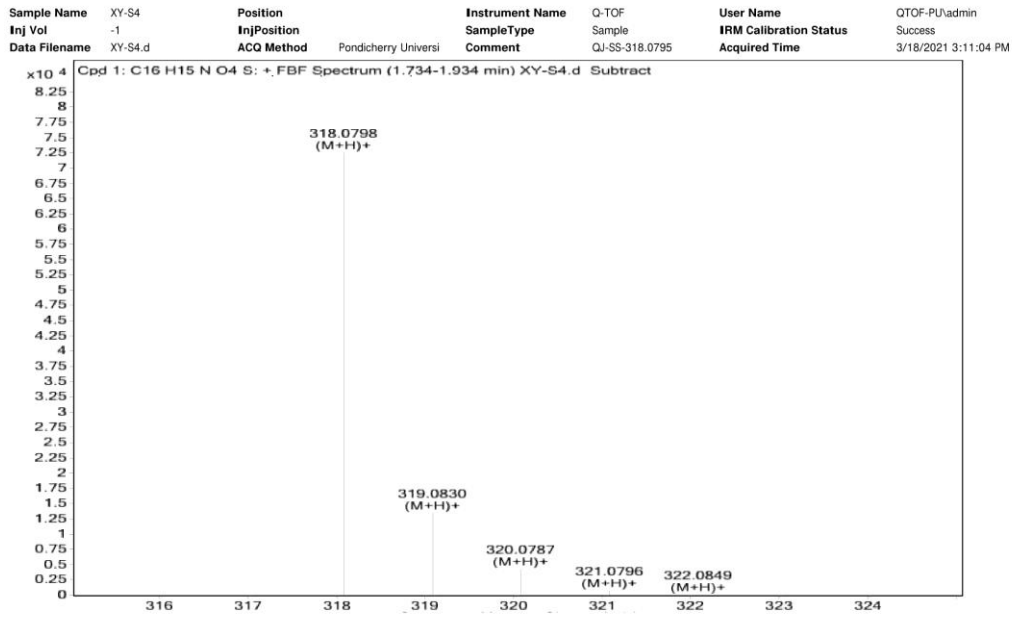


Figure 6.77 HRMS of compound **(1kk):**

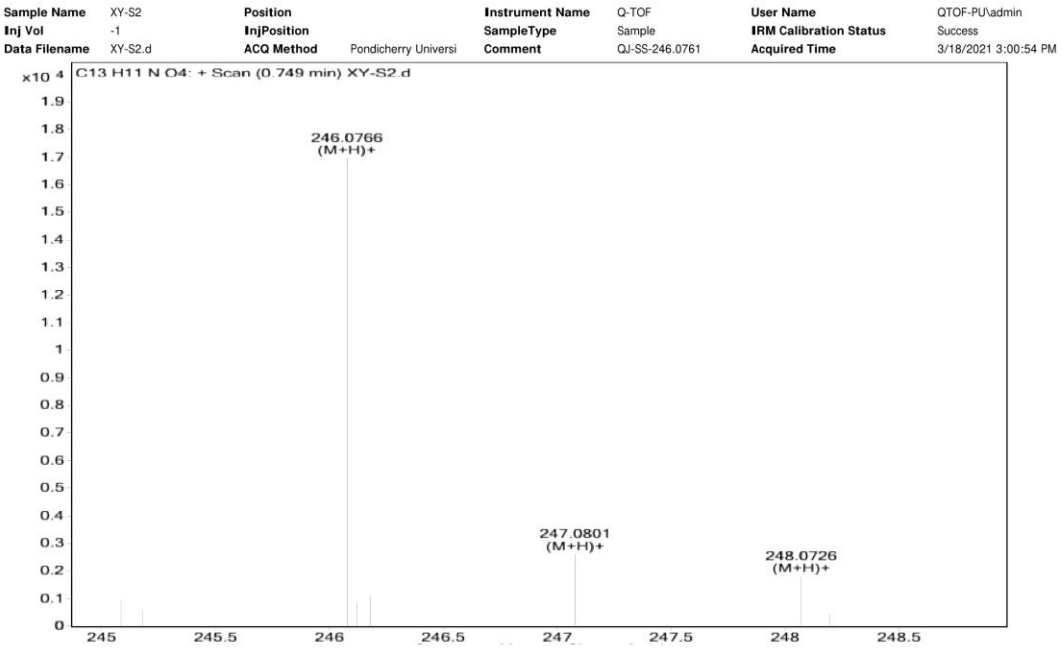


Figure 6.78 HRMS of compound **(1n):**

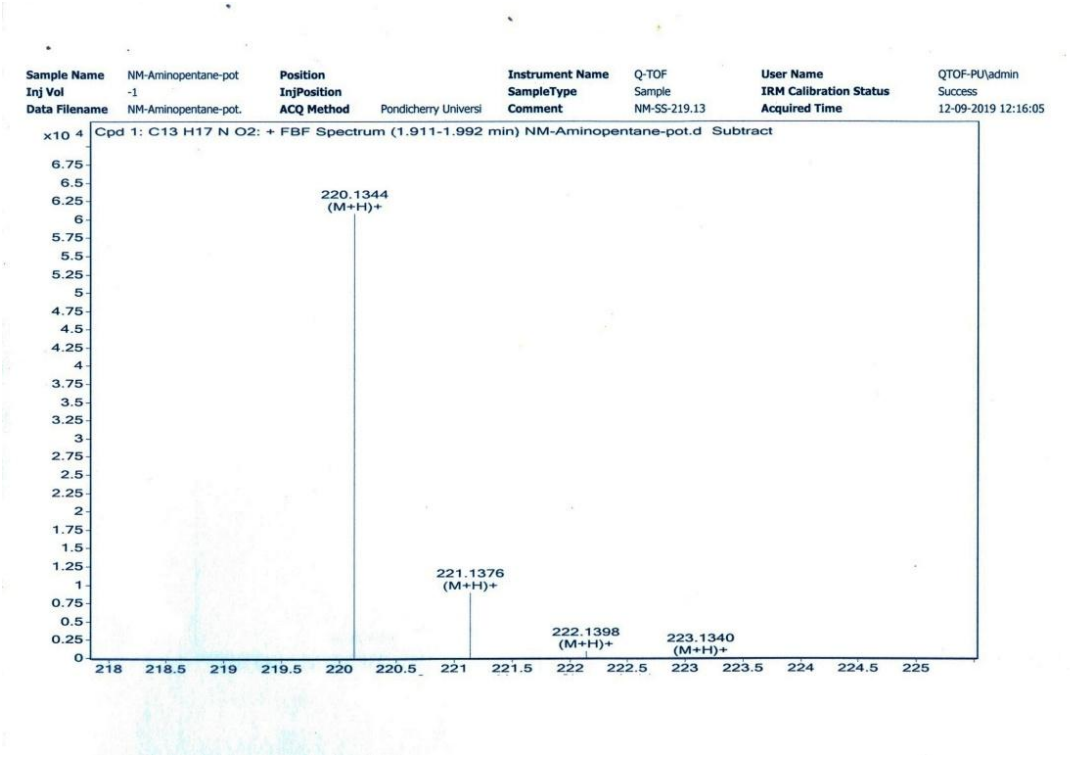


Figure 6.79 HRMS of compound **(3f):**

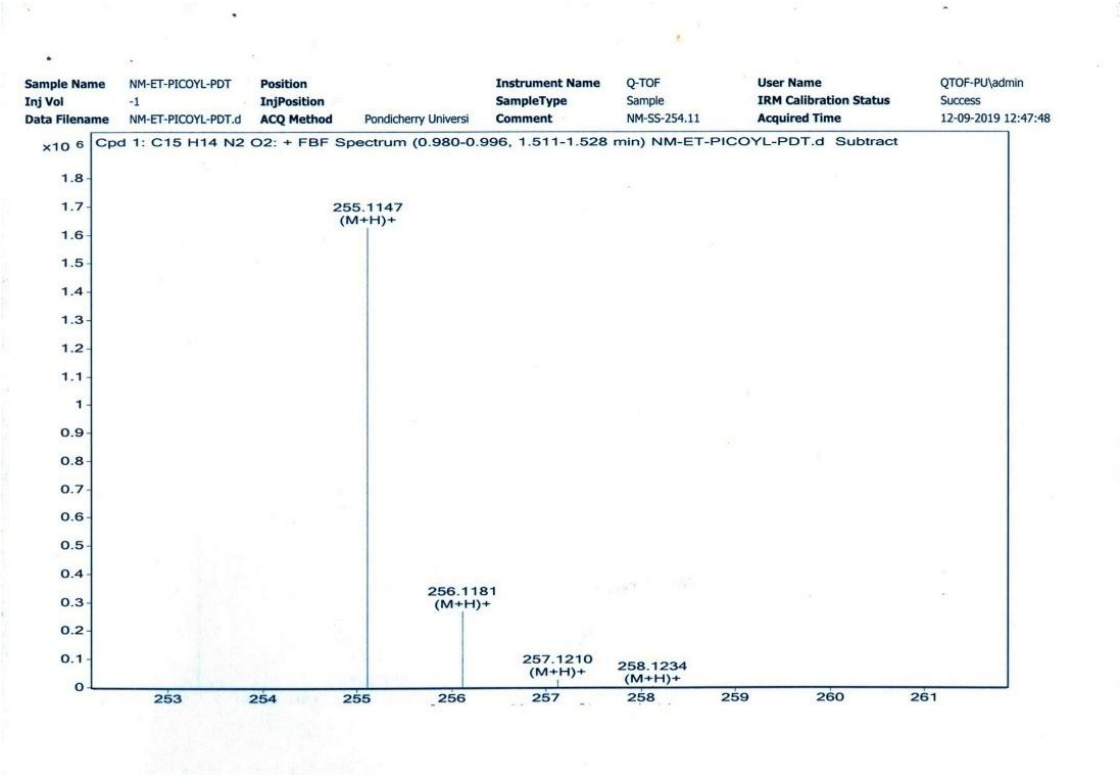


Figure 6.80 HRMS of compound **(3l):**

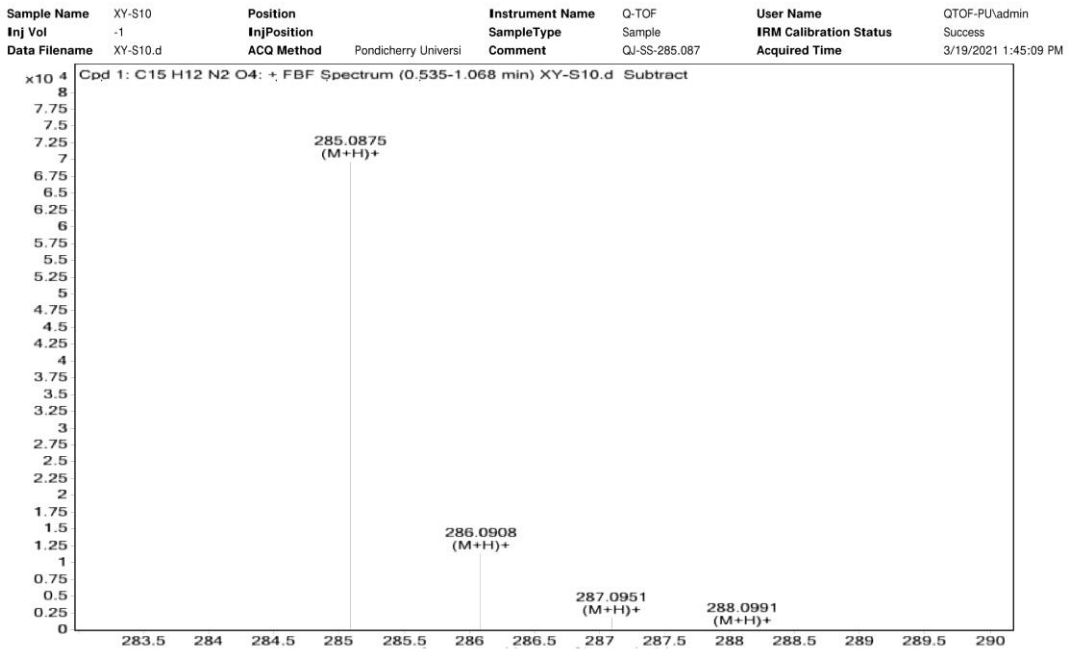


Figure 6.81 HRMS of compound **(4i):**

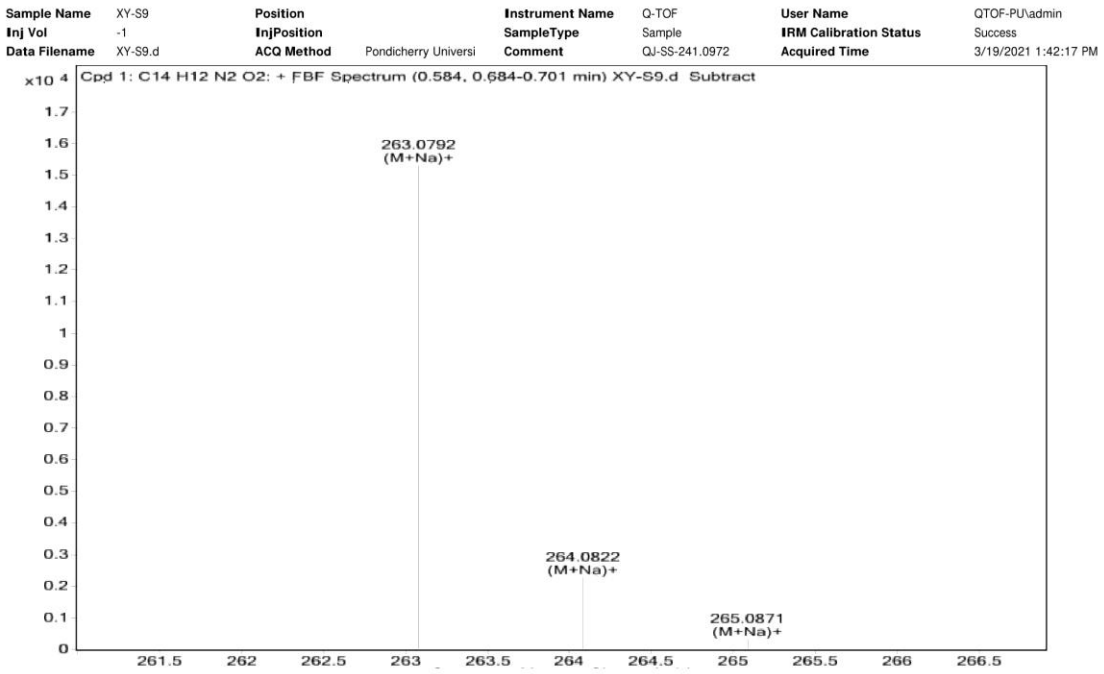


Figure 6.82 HRMS of compound **(4j):**

IR SPECTRA:

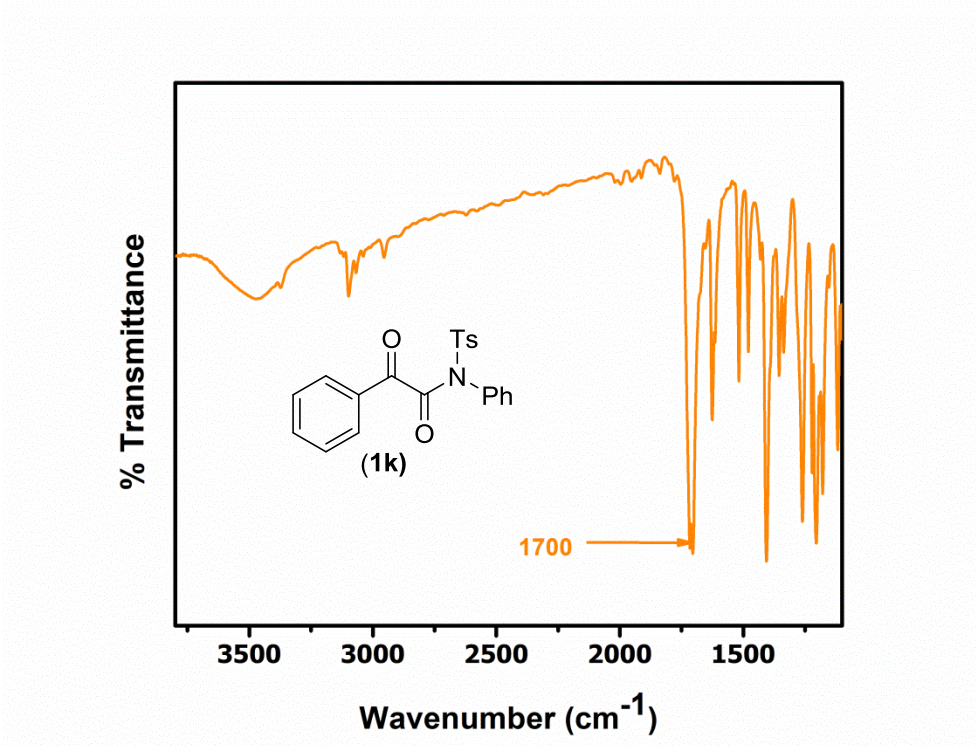


Figure 6.85 IR spectrum of (1k)

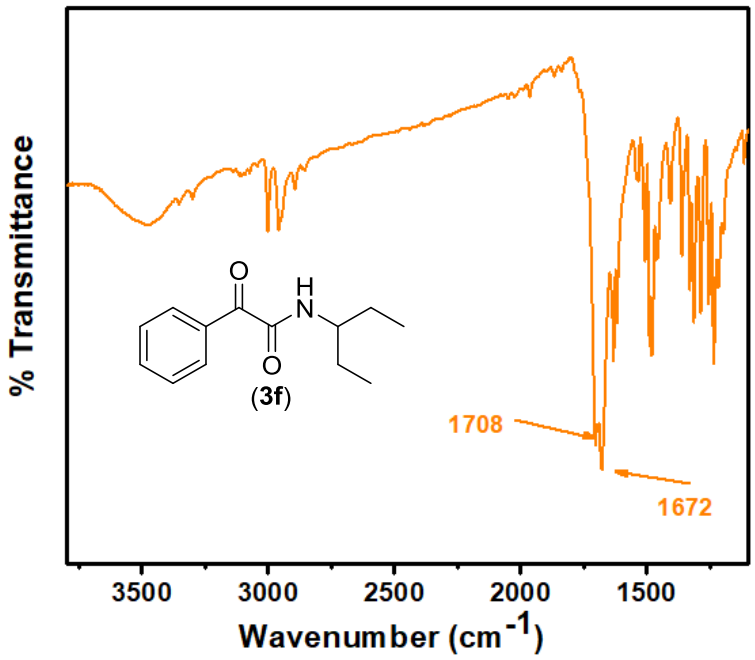


Figure 6.86 IR spectrum of (3f)

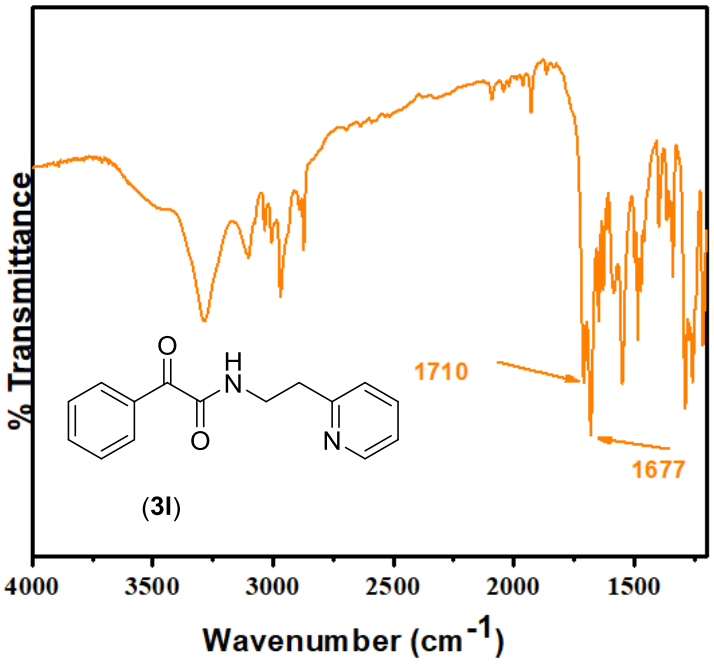


Figure 6.87 IR spectrum of (3l)