

Supporting Information for
Copper Promoted *N*-Alkylation of Sulfoximines Using
Alkylboronic acid Under Mild Condition

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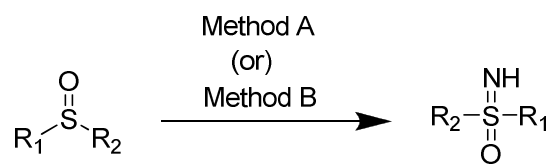
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1) General Information:

Starting materials were prepared using literature procedures or modified procedures as stated below. Boronic acids were purchased from Aldrich or Alfa Aesar chemicals. All the reactions were performed in round bottom flask or pressure tube as described below. Solvents and other chemicals were purchased from commercial sources and used without further purification. Thin layer chromatography was performed using pre-coated plates contained from E. Merck (TLC silica gel 60 F254). TLC plates were visualized by exposure to ultraviolet light (UV), then further analyzed by using iodine chamber or ninhydrin stain. The column chromatography was performed on silica gel (60-120 mesh) using a mixture of ethyl acetate/hexane as an eluent. The ^1H and ^{13}C NMR spectra were recorded on Bruker Avance 500 MHz NMR spectrometer and Mass spectra were measured on water's Quattro Micro V 4.1. The ^1H NMR and ^{13}C NMRs of the known sulfoximines were compared with literature reports.

2) Experimental Section:

2.1) Experimental procedure for the synthesis of HN-Sulfoximines



Method A: NaN_3 , H_2SO_4 , CHCl_3 , 0°C - 45°C

Method B: $\text{H}_2\text{NCOONH}_4$, $\text{PhI}(\text{OAc})_2$, MeOH , 25°C

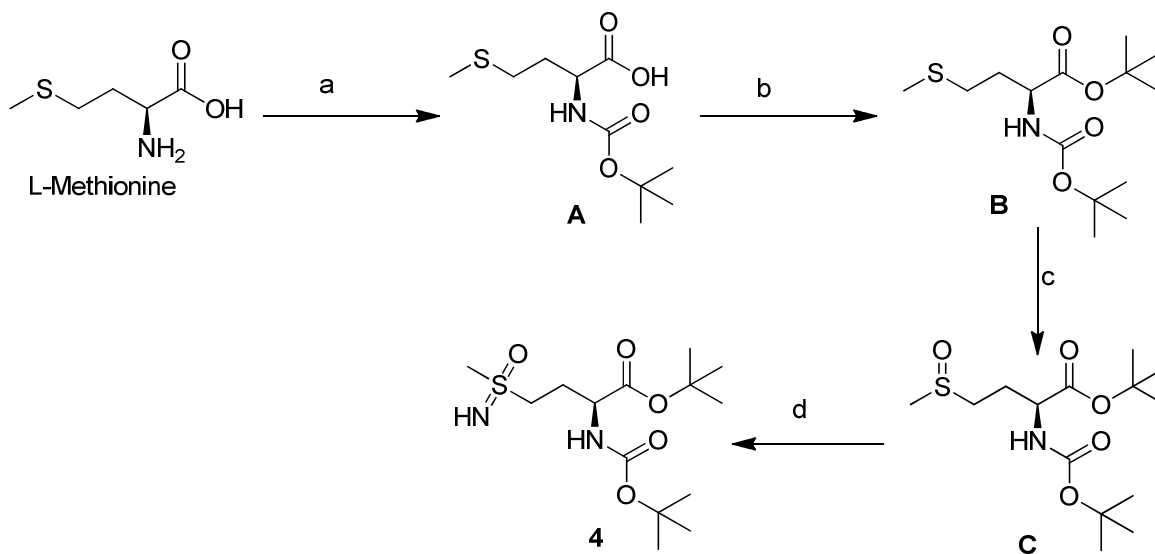
Sulfoximines **1a-h**, **1j-p** and **1t** have been prepared using literature method A¹ while Sulfoximines **1i**, **1q-s**, **1u** and **4** were prepared using literature method B.²

Method A: Sulfoxide (4.0 mmol) and sodium azide (1.2 equiv) was stirred in CHCl_3 (15 mL) at 0°C for 10 mins. Then, conc. H_2SO_4 (approx. 2.0 mL for 1 g of sulfoxide) was added dropwise over 10 min at 0°C . After that the reaction mixture was heated to 45°C for overnight and cooled to room temperature. The reaction mixture was quenched by ice-cooled water (10 mL) and CHCl_3 layer was separated. The aqueous layer of the reaction mixture was neutralized using 20% NaOH solution and re-extracted with CHCl_3 (3X100 mL). The combined organic extracts were washed with brine, dried over anhyd. Na_2SO_4 and concentrated under reduced pressure. The

crude residue was purified using column chromatography on silica gel (ethylacetate/hexane) to obtain the sulfoximines **1a-h**, **1j-p** and **1t** in good to excellent yields.

Method B: Sulfoxide (4.0 mmol), $\text{PhI}(\text{OAc})_2$ (3.0 equiv.) and ammonium carbamate (4.0 equiv.) were added to a 50 mL round bottom flask containing MeOH (10.0 mL). The reaction mixture was stirred for 30 min at 25 °C in an open flask. The progress of reaction was analyzed by TLC. After completion, methanol was removed under *vacuo* and the crude residue was purified by silica-gel column chromatography using ethyl acetate/ hexane as eluent to obtain the sulfoximines **1i**, **1q-s**, **1u** and **4** in good to excellent yields.

2.2) Experimental procedure for the synthesis of protected L-methionine sulfoximine (**4**)



a) $(\text{Boc})_2\text{O}$, Et_3N , MeOH; b) t-BuOH , DCC, 4-DMAP, DCM; c) $m\text{-CPBA}$, DCM; d) $\text{PhI}(\text{OAc})_2$, $\text{NH}_2\text{COONH}_4$, MeOH

(i) Experimental procedure for the synthesis of protected L-methionine sulfide **A:**³ L-Methionine (3 g, 20.1 mmol) was dissolved in methanol (30 mL) and stirred. The $(\text{Boc})_2\text{O}$ (5.28 g, 24 mmol) and triethylamine (16.8 mL, 120.6 mmol) were added to the reaction mixture and allowed to stir overnight at room temperature. The reaction was monitored using thin layer chromatography (80% Ethylacetate/hexane solvent, Ninhydrin stain). After completion, methanol was evaporated and the residue was dissolved in 1N HCl and extracted with ethyl acetate (3X50 mL). The organic layer was dried over anhydrous sodium sulfate, filtered and evaporated to obtain crude N-Boc protected methionine **A** in 70%, 3.51 g.

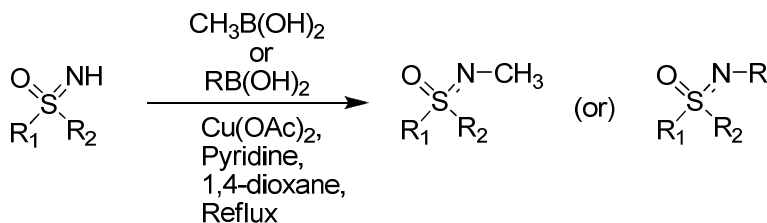
(ii) Experimental procedure for the synthesis of protected L-methionine sulfide **B:**⁴ To a cooled solution of crude Boc-L-methionine **A** (2 g, 8 mmol) in dry CH_2Cl_2 (20 mL), DMAP (0.08 g, 0.67

mmol) and *tert*-butanol (0.71 g, 9.6 mmol) was added. After 10 mins, *N,N*-dicyclohexylcarbodiimide (DCC) (2.15 g, 10.4 mmol) was added at 0°C and stirred for 12 h. The reaction was monitored using thin layer chromatography (15% Ethylacetate/hexane solvent, Ninhydrin stain). The resulted dicyclohexylurea precipitate was filtered off and washed with DCM (2X10 mL). The collected filtrate was washed with 1M HCl (2X5 mL), saturated NaHCO₃ (2X10 mL) and water (2X5mL) and dried over anhydrous sodium sulfate. Then, the solvent was evaporated in *vacuo*, and the crude product was purified by column chromatography (SiO₂, 5-20 % ethyl acetate:hexane) to give desired product **B** in 80 %, 1.96 g.

(iii) Experimental procedure for protected L-methionine sulfoxide C:⁵ To a cooled solution of protected L-methionine **B** (1 g, 3.28 mmol) in DCM (5 mL) at 0°C, *m*-CPBA (1.5 equiv.) was added portion wise. After 30 mins, the reaction mixture was allowed to stir at room temperature till the completion of reaction (TLC analysis, 20% MeOH: CHCl₃, Ninhydrin Stain). The reaction mixture was neutralized with saturated NaHCO₃ and extracted with DCM. The organic layer was washed with brine, dried over anhydrous sodium sulfate and evaporated. The crude residue was purified through silica-gel column chromatography to obtain the title compound in 89%, 0.936 g as viscous liquid.

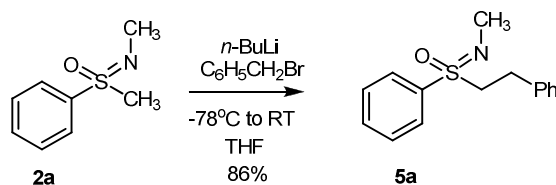
(iv) Experimental procedure for protected L-methionine sulfoximine 4:² The sulfoxide (2.0 mmol, 0.672 g), PhI(OAc)₂ (3.0 equiv., 1.932 g) and ammonium carbamate (4.0 equiv., 0.624 g) were added to a 50 mL round bottom flask containing MeOH (5.0 mL). The reaction mixture was stirred for 30 min at 25 °C in an open flask. The progress of reaction was analyzed by TLC (20% MeOH/CHCl₃ as eluent, Ninhydrin stain). After completion, methanol was removed under *vacuo* and the crude residue was purified by silica-gel column chromatography using 40% ethyl acetate/ hexane as eluent to obtain the sulfoximine **4** in 79% , 0.556 g.

2.3) Experimental procedure for *N*-methylation/alkylation of sulfoximines using methyl/alkylboronic acid



Sulfoximine (1 mmol), copper (II) acetate (1.5 equiv.), pyridine (2.4 equiv.) and 1,4-dioxane (8 mL) was taken in a pressure tube (50 mL) under open air condition and stirred for 5 mins at room temperature to which methylboronic acid (2.0 equiv.) was added. The pressure tube was closed with Teflon cap and refluxed in a pre-heated oil bath at 100°C until the starting material (sulfoximine) was consumed (as per the time given in manuscript). After that the reaction mixture was cooled to room temperature, diluted with ethyl acetate and washed with distilled water and brine solution. The ethyl acetate layer was dried over anhydrous sodium sulfate, evaporated and purified in silica-gel column chromatography using ethylacetate/hexane as eluent to obtain the *N*-methylated or alkylated sulfoximines.

2.4) Experimental procedure for the synthesis of *N*-Methyl-*S*-phenyl *S*-(2-phenylethyl) sulfoximine (5a):

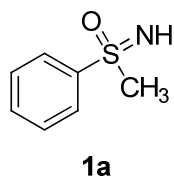


N,S-Dimethyl-*S*-phenylsulfoximine (169 mg, 1.0 mmol) was stirred in dry THF (3 mL) at -78 °C to which *n*-butyllithium (1.6 mL in hexane, 1.05 equiv.) was added slowly over a period of 10 min. The reaction temperature was further increased to -26 °C in a period of 30 mins to which benzyl bromide (0.36 mL, 1.05 equiv.) was added slowly. After the addition of Benzyl bromide, the reaction mixture was allowed to stir at room temperature for overnight. After completion, the reaction mixture was quenched by adding water and extracted with dichloromethane. The organic layer was dried with anhydrous sodium sulphate, concentrated and subjected for column chromatography purification with hexane:ethyl acetate to obtain the title compound in 86% (222 mg).

3) Analytical data for sulfoximines

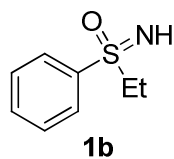
For all the known compounds ^1H , ^{13}C NMR and HRMS is provided. For unreported compounds, Physical appearance, R_f value, IR, ^1H , ^{13}C NMR, HRMS and melting point is provided.

3.1 S-Methyl-S-phenylsulfoximine (1a)⁶



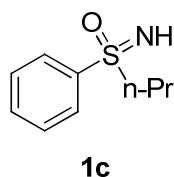
^1H NMR (500 MHz, Chloroform-*d*) δ 8.08–7.95 (m, 2H), 7.64–7.60 (m, 1H), 7.55 (t, J = 7.6 Hz, 2H), 3.10 (s, 3H), 2.68 (bs, 1H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 143.7, 133.2, 129.4, 127.8, 46.3. **HRMS:** Calc. for $\text{C}_7\text{H}_9\text{NOSNa}$ $[\text{M}+\text{Na}]^+$: 178.0303, Obser. 178.0297.

3.2 S-Ethyl-S-phenylsulfoximine (1b)⁶



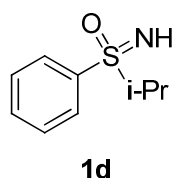
^1H NMR (500 MHz, Chloroform-*d*) δ 8.01–7.91 (m, 2H), 7.61 (t, J = 7.4 Hz, 1H), 7.54 (t, J = 7.6 Hz, 2H), 3.17 (q, J = 7.4 Hz, 2H), 2.90 (bs, 1H), 1.25 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 141.6, 133.2, 129.3, 128.7, 52.0, 8.0. **HRMS:** Calc. for $\text{C}_8\text{H}_{12}\text{NOS}$ $[\text{M}+\text{H}]^+$: 170.0640, Obser. 170.0638.

3.3 S-Phenyl-S-propylsulfoximine (1c)⁶



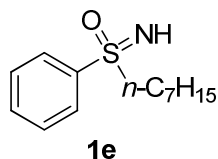
^1H NMR (500 MHz, Chloroform-*d*) δ 7.95–7.91 (m, 2H), 7.58 (t, J = 7.4 Hz, 1H), 7.51 (t, J = 7.5 Hz, 2H), 3.10–3.06 (m, 2H), 2.59 (bs, 1H), 1.74–1.65 (m, 2H), 0.92 (t, J = 7.5 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 142.1, 133.0, 129.2, 128.5, 59.3, 17.0, 12.9. **HRMS:** Calc. for $\text{C}_9\text{H}_{14}\text{NOS}$ $[\text{M}+\text{H}]^+$: 184.0796, Obser. 184.0781.

3.4 S-iso-Propyl-S-phenylsulfoximine (1d)⁶



^1H NMR (500 MHz, Chloroform-*d*) δ 7.94–7.92 (m, 2H), 7.64–7.56 (m, 1H), 7.53–7.51 (m, 2H), 3.22 (hept, J = 6.8 Hz, 1H), 2.49 (bs, 1H), 1.30 (d, J = 6.8 Hz, 3H), 1.25 (d, J = 6.8 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 139.9, 133.1, 129.5, 129.0, 56.6, 16.5, 16.1. **HRMS:** Calc. for $\text{C}_9\text{H}_{14}\text{NOS}$ $[\text{M}+\text{H}]^+$: 184.0796, Obser. 184.0782.

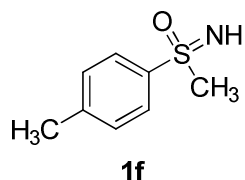
3.5 S-Heptyl-S-phenylsulfoximine (1e)



Obtained as Pale yellow liquid. R_f = 0.30 (80% EtOAc/Hexane); IR (neat, cm^{-1}): 3270, 2929, 1441, 1223, 1111, 991, 752, 679; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.96–7.92 (m, 2H), 7.60–7.56 (m, 1H), 7.52 (t, J = 7.6 Hz, 2H), 3.15–3.04 (m, 2H), 2.52 (bs, 1H), 1.76–1.59 (m, 2H), 1.31–1.17 (m, 8H), 0.81 (t, J = 7.0

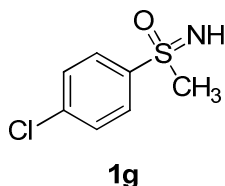
Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 142.2, 133.0, 129.2, 128.5, 57.6, 31.5, 28.8, 28.2, 23.1, 22.6, 14.1. **HRMS:** Calc. for $\text{C}_{13}\text{H}_{21}\text{NOSNa}$ $[\text{M}+\text{Na}]^+$: 262.1242, Obser. 262.1230.

3.6 *S*-Methyl *S*-*p*-tolylsulfoximine (**1f**)⁶



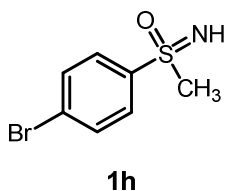
^1H NMR (500 MHz, Chloroform-*d*) δ 7.86 (d, J = 7.0 Hz, 2H), 7.32 (d, J = 7.8 Hz, 2H), 3.06 (s, 3H), 2.65 (bs, 1H), 2.42 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 144.0, 140.6, 130.0, 127.8, 46.4, 21.6. **HRMS:** Calc. for $\text{C}_8\text{H}_{12}\text{NOS}$ $[\text{M}+\text{H}]^+$: 170.064, Obser. 170.0635.

3.7 *S*-(4-Chlorophenyl)-*S*-methylsulfoximine (**1g**)⁶



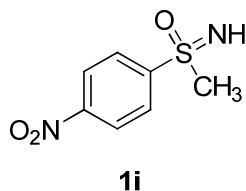
^1H NMR (500 MHz, Chloroform-*d*) δ 7.94 (d, J = 8.6 Hz, 2H), 7.51 (d, J = 8.6 Hz, 2H), 3.09 (s, 3H), 2.71 (bs, 1H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 142.3, 139.9, 129.7, 129.4, 46.4. **HRMS:** Calc. for $\text{C}_7\text{H}_9\text{ClNOS}$ $[\text{M}+\text{H}]^+$: 190.0093, Obser. 190.008.

3.8 *S*-(4-Bromophenyl)-*S*-methylsulfoximine (**1h**)⁶



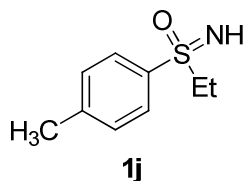
^1H NMR (500 MHz, Chloroform-*d*) δ 7.83 (d, J = 8.6 Hz, 2H), 7.65 (d, J = 8.6 Hz, 2H), 3.06 (s, 3H), 2.64 (bs, 1H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 142.7, 132.6, 129.4, 128.3, 46.2. **HRMS:** Calc. for $\text{C}_7\text{H}_9\text{BrNOS}$ $[\text{M}+\text{H}]^+$: 233.9588, Obser. 235.9573.

3.9 *S*-Methyl-*S*-(4-nitrophenyl)sulfoximine (**1i**)⁷



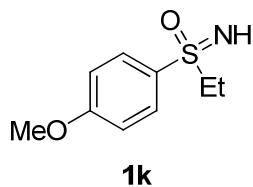
^1H NMR (500 MHz, Chloroform-*d*) δ 8.40–8.33 (m, 2H), 8.23–8.16 (m, 2H), 3.14 (s, 3H), 2.91 (bs, 1H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 150.6, 149.5, 129.3, 124.6, 46.1. **HRMS:** Calc. for $\text{C}_7\text{H}_9\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 201.0334, Obser. 201.0337.

3.10 *S*-Ethyl-*S*-(4-methylphenyl)sulfoximine (**1j**)⁸



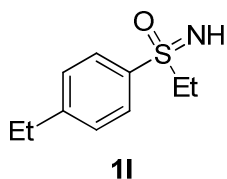
^1H NMR (500 MHz, Chloroform-*d*) δ 7.92–7.61 (m, 2H), 7.26 (d, J = 5.9 Hz, 2H), 3.22–2.97 (m, 2H), 2.65 (bs, 1H), 2.36 (s, 3H), 1.35–0.95 (m, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 143.8, 138.2, 129.7, 128.5, 51.8, 21.4, 7.8. **HRMS:** Calc. for $\text{C}_9\text{H}_{13}\text{NOSNa}$ $[\text{M}+\text{Na}]^+$: 206.0616, Obser. 206.0617.

3.11 S-Ethyl-S-(4-methoxyphenyl)sulfoximine (1k)



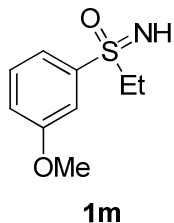
Obtained as Brown oil. R_f = 0.22 (70% EtOAc/Hexane). IR (neat, cm^{-1}): 3273, 3064, 3027, 2927, 1530, 1451, 1409, 1220, 1097, 799, 754, 625, 527; ^1H NMR (500 MHz, Chloroform- d) δ 7.84 (d, J = 8.6 Hz, 2H), 6.97 (d, J = 8.6 Hz, 2H), 3.85 (s, 3H), 3.12 (q, J = 7.3 Hz, 2H), 2.59 (bs, 1H), 1.21 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 163.4, 132.8, 130.8, 114.4, 55.8, 52.2, 8.1. **HRMS:** Calc. for $\text{C}_9\text{H}_{14}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$: 200.0745, Obser. 200.0746.

3.12 S-Ethyl-S-(4-ethylphenyl)sulfoximine (1l)



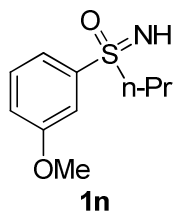
Obtained as Yellow liquid. R_f = 0.24 (80% EtOAc/Hexane). IR (neat, cm^{-1}): 3273, 3057, 2970, 2938, 2873, 1644, 1596, 1454, 1409, 1209, 1096, 1053, 969, 817, 716; ^1H NMR (500 MHz, Chloroform- d) δ 7.86 (d, J = 8.3 Hz, 2H), 7.36 (d, J = 8.5 Hz, 2H), 3.15 (q, J = 7.4 Hz, 2H), 2.73 (q, J = 7.6 Hz, 2H), 2.63 (bs, 1H), 1.28-1.24 (m, 6H). ^{13}C NMR (125 MHz, Chloroform- d) δ 150.2, 138.7, 128.9, 128.8, 52.1, 29.0, 15.3, 8.1. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 198.0953, Obser. 198.0954.

3.13 S-Ethyl-S-(3-methoxyphenyl)sulfoximine (1m)



Obtained as Brown liquid. R_f = 0.22 (70% EtOAc/Hexane). IR (neat, cm^{-1}): 3279, 3062, 3023, 2926, 1599, 1474, 1411, 1321, 1227, 1094, 1019, 993, 792, 751, 680; ^1H NMR (500 MHz, Chloroform- d) δ 7.47-7.45 (m, 1H), 7.41-7.35 (m, 2H), 7.10-7.03 (m, 1H), 3.80 (s, 3H), 3.14-3.04 (m, 2H), 2.64 (bs, 1H), 1.21-1.17 (m, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 160.0, 142.7, 130.1, 120.7, 119.4, 113.1, 55.7, 51.7, 7.9. **HRMS:** Calc. for $\text{C}_9\text{H}_{13}\text{NO}_2\text{SNa}$ $[\text{M}+\text{Na}]^+$: 222.0565, Obser. 222.0554.

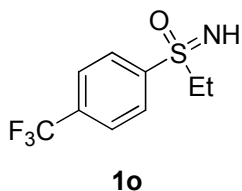
3.14 S-(3-Methoxyphenyl)-S-propylsulfoximine (1n)



Obtained as Brown liquid. R_f = 0.22 (70% EtOAc/Hexane). IR (neat, cm^{-1}): 3297, 3064, 3013, 2920, 1599, 1478, 1411, 1321, 1227, 1094, 1019, 993, 794, 756, 670; ^1H NMR (500 MHz, Chloroform- d) δ 7.52 (d, J = 7.7 Hz, 1H), 7.49-7.38 (m, 2H), 7.11 (dd, J = 8.2, 2.4 Hz, 1H), 3.85 (s, 3H), 3.15-3.04 (m, 2H), 2.51 (bs, 1H), 1.80-1.65 (m, 2H), 0.96 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 160.2, 143.5, 130.3, 120.7, 119.6, 113.0, 59.3, 55.8,

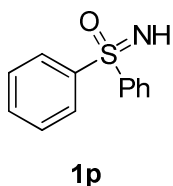
17.0, 13.0. **HRMS:** Calc. for $C_{10}H_{16}NO_2S$ $[M+H]^+$: 214.0902, Obser. 214.0887.

3.15 S-Ethyl-S-(4-trifluoromethylphenyl)sulfoximine (1o)



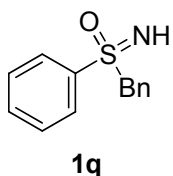
Obtained as Transparent oil. R_f = 0.24 (70% EtOAc/Hexane). IR (neat, cm^{-1}): 3270, 3092, 2911, 1900, 1607, 1318, 1127, 1097, 1059, 998, 947, 835, 789, 749, 691; 1H NMR (500 MHz, Chloroform- d) δ 8.10 (d, J = 8.2 Hz, 2H), 7.82 (d, J = 8.2 Hz, 2H), 3.22–3.16 (m, 2H), 2.32 (bs, 1H), 1.27 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 145.4, 134.9 (q, J = 33 Hz), 129.3, 126.5 (q, J = 3.7 Hz), 123.4 (q, J = 272.9 Hz), 51.9, 7.9. **HRMS:** Calc. for $C_9H_{11}F_3NOS$ $[M+H]^+$: 238.0513, Obser. 238.0497.

3.16 S,S-Diphenylsulfoximine (1p)⁶



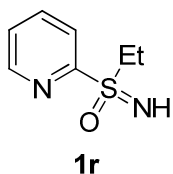
1H NMR (500 MHz, Chloroform- d) δ 8.12–7.96 (m, 4H), 7.54–7.44 (m, 6H), 3.06 (bs, 1H). ^{13}C NMR (125 MHz, Chloroform- d) δ 143.5, 132.7, 129.3, 128.0. **HRMS:** Calc. for $C_{12}H_{12}NOS$ $[M+H]^+$: 218.064, Obser. 218.0642.

3.17 S-Phenyl-S-(phenylmethyl)sulfoximine (1q)⁷



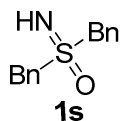
1H NMR (500 MHz, Chloroform- d) δ 7.75–7.73 (m, 2H), 7.59–7.53 (m, 1H), 7.46–7.40 (m, 2H), 7.32–7.28 (m, 1H), 7.27–7.22 (m, 2H), 7.11–7.07 (m, 2H), 4.37 (d, J = 13.4 Hz, 1H), 4.29 (d, J = 13.4 Hz, 1H), 2.83 (bs, 1H). ^{13}C NMR (125 MHz, Chloroform- d) δ 140.4, 133.2, 131.1, 128.9, 128.8, 128.7, 128.6, 64.7. **HRMS:** Calc. for $C_{13}H_{14}NOS$ $[M+H]^+$: 232.0796, Obser. 232.0792.

3.18 S-Ethyl-S-(2-pyridyl)sulfoximine (1r)



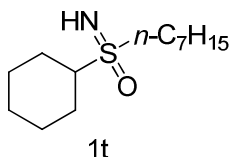
Obtained as Yellow oil. R_f = 0.28 (100% EtOAc); IR (neat, cm^{-1}): 3261, 3010, 2920, 2851, 1659, 1579, 1411, 1317, 1223, 1068, 1014, 990, 784, 750, 512; 1H NMR (500 MHz, Chloroform- d) δ 8.73 (d, J = 4.7 Hz, 1H), 8.12 (d, J = 7.8 Hz, 1H), 7.94–7.91 (m, 1H), 7.50–7.48 (m, 1H), 3.52–3.44 (m, 1H), 3.40–3.32 (m, 1H), 2.81 (bs, 1H), 1.26 (t, J = 7.5 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 159.3, 150.3, 138.2, 126.8, 122.5, 48.4, 7.4. **HRMS:** Calc. for $C_7H_{14}N_2OS$ $[M+H]^+$: 171.0592, Obser. 171.0576.

3.19 *S,S*-Dibenzylsulfoximine (1s)⁶



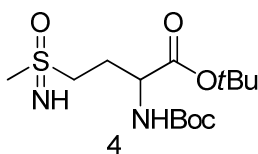
¹H NMR (500 MHz, Chloroform-*d*) δ 7.41 (s, 10H), 4.29 (d, J = 13.1 Hz, 2H), 4.17 (d, J = 13.1 Hz, 2H), 2.60 (bs, 1H). ¹³C NMR (125 MHz, Chloroform-*d*) δ 131.3, 129.2, 129.1, 128.0, 60.7. **HRMS:** Calc. for C₁₄H₁₆NOS [M+H]⁺: 246.0953, Obser. 246.0951.

3.20 *S*-Cyclohexyl-*S*-heptylsulfoximine (1t)



Obtained as Yellow viscous liquid. R_f = 0.48 (50% EtOAc/Hexane); IR (neat, cm⁻¹): 3510, 2920, 2875, 2814, 2313, 1981, 1644, 1450, 1129, 958, 849, 770, 691. ¹H NMR (500 MHz, Chloroform-*d*) δ 2.95–2.88 (m, 2H), 2.83 (tt, J = 12.2, 3.5 Hz, 1H), 2.67 (bs, 1H), 2.17–2.11 (m, 2H), 1.93–1.89 (m, 2H), 1.84–1.77 (m, 2H), 1.73–1.67 (m, 1H), 1.52–1.44 (m, 2H), 1.42–1.35 (m, 2H), 1.33–1.21 (m, 9H), 0.85 (t, J = 6.9 Hz, 3H). ¹³C NMR (125 MHz, Chloroform-*d*) δ 62.5, 51.2, 31.6, 28.9, 28.7, 25.7, 25.4, 25.2, 22.6, 21.8, 14.1. **HRMS:** Calc. for C₁₃H₂₈NOS [M+H]⁺: 246.1892, Obser. 246.1888.

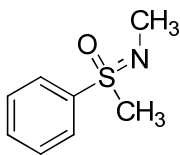
3.22 L-Methionine Sulfoximine Derivative (4)⁵



¹H NMR (500 MHz, Chloroform-*d*) δ 5.34 (d, J = 6.3 Hz, 1H), 4.24 (s, 1H), 3.22–3.04 (m, 2H), 2.96 (s, 3H), 2.85–2.60 (m, 1H), 2.37–2.32 (m, 1H), 2.13–2.05 (m, 1H), 1.44 (s, 9H), 1.40 (s, 9H). ¹³C NMR (126 MHz, Chloroform-*d*) δ 170.5, 155.6, 83.0, 80.3, 53.5, 52.7, 43.1, 28.4, 28.1, 26.7. **HRMS:** Calc. for C₁₄H₂₉N₂O₅S [M+H]⁺: 337.4555, Obser. 337.1820

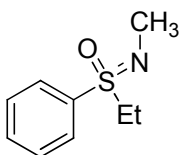
4) Analytical data for N-alkyl Sulfoximine

4.1 *N,S*-Dimethyl-*S*-phenylsulfoximine (**2a**)⁹

**2a**

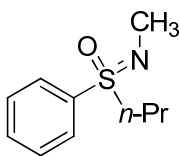
Obtained as Yellow liquid. Yield= 158 mg, 94%. R_f = 0.20 (80% EtOAc/Hexane); ^1H NMR (500 MHz, Chloroform-*d*) δ 7.96–7.81 (m, 2H), 7.64–7.52 (m, 3H), 3.06 (s, 3H), 2.63 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 138.9, 133.0, 129.6, 128.9, 45.1, 29.7. **HRMS:** Calc. for $\text{C}_8\text{H}_{12}\text{NOS}$ $[\text{M}+\text{H}]^+$: 170.064, Obser. 170.0632

4.2 *N*-Methyl-*S*-ethyl-*S*-phenylsulfoximine (**2b**)⁹

**2b**

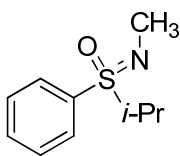
Obtained as Pale yellow liquid. Yield= 170 mg, 93%. R_f = 0.24 (80% EtOAc/Hexane); ^1H NMR (500 MHz, Chloroform-*d*) δ 7.84 (d, J = 7.3 Hz, 2H), 7.60 (t, J = 7.3 Hz, 1H), 7.55 (t, J = 7.3 Hz, 2H), 3.24–3.11 (m, 2H), 2.65 (s, 3H), 1.21 (t, J = 7.5 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 136.9, 133.0, 129.7, 129.6, 50.7, 29.5, 7.4. **HRMS:** Calc. for $\text{C}_9\text{H}_{14}\text{NOS}$ $[\text{M}+\text{H}]^+$: 184.0796, Obser. 184.0787.

4.3 *N*-Methyl-*S*-phenyl-*S*-propylsulfoximine (**2c**)

**2c**

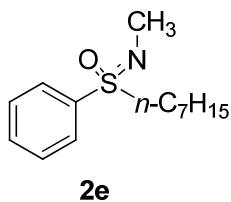
Obtained as Pale yellow liquid. Yield= 187 mg, 95%. R_f = 0.30 (80% EtOAc/Hexane); IR (neat, cm^{-1}): 3071, 2983, 2928, 2869, 2811, 1640, 1454, 1241, 1140; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.89–7.75 (m, 2H), 7.60–7.51 (m, 3H), 3.15–3.01 (m, 2H), 2.64 (s, 3H), 1.79–1.60 (m, 2H), 0.92 (t, J = 7.5 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 137.7, 132.9, 129.5, 128.5, 58.3, 29.5, 16.6, 13.0. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 198.0953, Obser. 198.0937.

4.4 *N*-Methyl-*S*-iso-propyl-*S*-phenylsulfoximine (**2d**)

**2d**

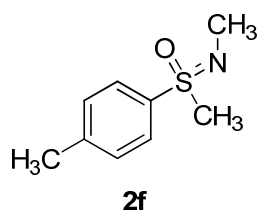
Obtained as Transparent liquid, Yield= 179 mg, 91%. R_f = 0.28 (60% EtOAc/Hexane); IR (neat, cm^{-1}): 3067, 2980, 2919, 2870, 2811, 1640, 1454, 1245, 1144; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.84–7.77 (m, 2H), 7.62–7.58 (m, 1H), 7.57–7.53 (m, 2H), 3.26–3.21 (m, 1H), 2.67 (s, 3H), 1.35 (d, J = 6.8 Hz, 3H), 1.19 (d, J = 6.9 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 135.7, 132.9, 130.5, 129.4, 55.9, 29.7, 16.6, 15.7. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 198.0953, Obser. 198.0937.

4.5 *N*-Methyl-*S*-heptyl-*S*-phenylsulfoximine (2e)



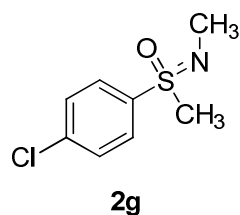
Obtained as Transparent oil, Yield= 207 mg, 82%. R_f = 0.32 (80% EtOAc/Hexane); IR (neat, cm^{-1}): 3274, 2930, 1664, 1441, 1223, 1122, 991, 752, 679; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.82–7.80 (m, 2H), 7.60–7.49 (m, 3H), 3.15–3.00 (m, 2H), 2.63 (s, 3H), 1.75–1.55 (m, 2H), 1.25–1.11 (m, 8H), 0.84–0.77 (m, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 137.8, 132.9, 129.5, 128.5, 56.7, 31.5, 29.6, 28.8, 28.3, 22.7, 22.6, 14.1. **HRMS:** Calc. for $\text{C}_{14}\text{H}_{24}\text{NOS}$ $[\text{M}+\text{H}]^+$: 254.1579, Obser. 254.1567.

4.6 *N*-Methyl-*S*-methyl-*S*-(4-methylphenyl)sulfoximine (2f)¹⁰



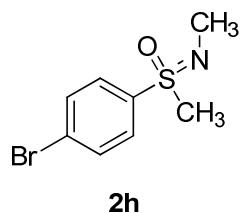
Obtained as Pale yellow liquid. Yield= 172 mg, 94%. R_f = 0.22 (60% EtOAc/Hex); ^1H NMR (500 MHz, Chloroform-*d*) δ 7.75 (d, J = 8.2 Hz, 2H), 7.34 (d, J = 7.9 Hz, 2H), 3.04 (s, 3H), 2.61 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 143.9, 135.7, 130.3, 128.9, 45.2, 29.6, 21.6. **HRMS:** Calc. for $\text{C}_9\text{H}_{14}\text{NOS}$ $[\text{M}+\text{H}]^+$: 184.0796, Obser. 184.0795.

4.7 *N*-Methyl-*S*-(4-chlorophenyl)-*S*-methylsulfoximine (2g)¹⁰

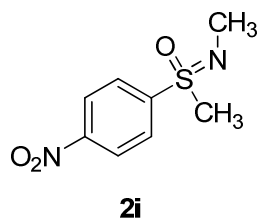


Obtained as White solid. Yield= 181 mg, 89%, R_f = 0.28 (80% EtOAc/Hexane); ^1H NMR (500 MHz, Chloroform-*d*) δ 7.82–7.78 (m, 2H), 7.53–7.49 (m, 2H), 3.04 (s, 3H), 2.60 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 139.7, 137.5, 130.4, 129.9, 45.1, 29.6. **HRMS:** Calc. for $\text{C}_8\text{H}_{11}\text{ClNOS}$ $[\text{M}+\text{H}]^+$: 204.025, Obser. 204.0236.

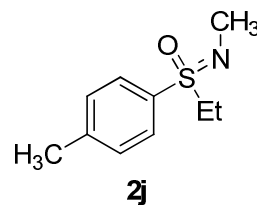
4.8 *N*-Methyl-*S*-(4-bromophenyl)-*S*-methylsulfoximine (2h)¹⁰



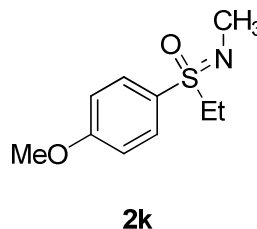
Obtained as White solid. Yield= 231 mg, 93%. R_f = 0.20 (80% EtOAc/Hexane); ^1H NMR (500 MHz, Chloroform-*d*) δ 7.77–7.72 (m, 2H), 7.72–7.67 (m, 2H), 3.06 (s, 3H), 2.62 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 138.0, 133.0, 130.6, 128.3, 45.1, 29.7. **HRMS:** Calc. for $\text{C}_8\text{H}_{11}\text{BrNOS}$ $[\text{M}+\text{H}]^+$: 247.9745, Obser. 249.9717.

4.9 *N*-Methyl-*S*-methyl-*S*-(4-nitrophenyl)sulfoximine (2i)¹⁰

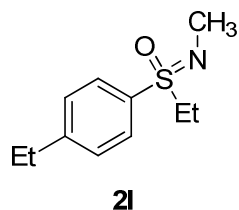
Obtained as Yellow solid. Yield= 195 mg, 91%. R_f = 0.36 (80% EtOAc/Hexane); ^1H NMR (500 MHz, Chloroform-*d*) δ 8.40 (d, J = 8.9 Hz, 2H), 8.09 (d, J = 8.9 Hz, 2H), 3.12 (s, 3H), 2.65 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 150.7, 145.6, 130.3, 124.8, 44.9, 29.6. **HRMS:** Calc. for $\text{C}_8\text{H}_{11}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 215.049, Obser. 215.0496.

4.10 *N*-Methyl-*S*-ethyl-*S*-(4-methylphenyl)sulfoximine (2j)

Obtained as Transparent liquid. Yield= 181 mg, 92%. R_f = 0.24 (80% EtOAc/Hex); IR (neat, cm^{-1}): 3370, 2919, 2811, 2361, 2089, 1660, 1595, 1408, 1234, 1144, 971, 815, 759; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.69 (d, J = 8.2 Hz, 2H), 7.33 (d, J = 7.9 Hz, 2H), 3.17-3.08 (m, 2H), 2.63 (s, 3H), 2.42 (s, 3H), 1.19 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 143.8, 133.7, 130.2, 129.7, 50.9, 29.5, 21.6, 7.5. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 198.0953, Obser. 198.0949.

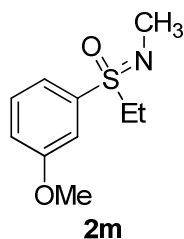
4.11 *N*-Methyl-*S*-ethyl-*S*-(4-methoxyphenyl)sulfoximine (2k)

Obtained as Yellow liquid. Yield= 194 mg, 91%. R_f = 0.28 (100% EtOAc); IR (neat, cm^{-1}): 3557, 2929, 2572, 2302, 2084, 1906, 1737, 1589, 1479, 1139, 1098, 1020, 974, 836, 767; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.74 (d, J = 8.9 Hz, 2H), 7.01 (d, J = 8.9 Hz, 2H), 3.86 (s, 3H), 3.18-3.09 (m, 2H), 2.64 (s, 3H), 1.20 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 163.4, 131.8, 127.9, 114.8, 55.7, 51.0, 29.5, 7.6. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{16}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$: 214.0902, Obser. 214.0901.

4.12 *N*-Methyl-*S*-ethyl-*S*-(4-ethylphenyl)sulfoximine (2l)

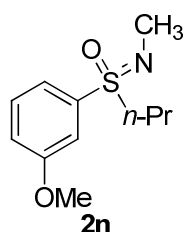
Obtained as Yellow viscous liquid. Yield= 196 mg, 93%. R_f = 0.24 (100% EtOAc); IR (neat, cm^{-1}): 3508, 3062, 2932, 2804, 2321, 2099, 1920, 1741, 1646, 1580, 1447, 927, 859, 728, 689.; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.74 (d, J = 8.2 Hz, 2H), 7.38 (d, J = 8.0 Hz, 2H), 3.20-3.11 (m, 2H), 2.74 (q, J = 7.6 Hz, 2H), 2.67 (s, 3H), 1.28 (t, J = 7.6 Hz, 3H), 1.22 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 150.0, 134.1, 129.9, 129.1, 51.0, 29.6, 29.0, 15.3, 7.6. **HRMS:** Calc. for $\text{C}_{11}\text{H}_{18}\text{NOS}$ $[\text{M}+\text{H}]^+$: 212.1109, Obser. 212.1097.

4.13 *N*-Methyl-*S*-ethyl-*S*-(3-methoxyphenyl)sulfoximine (2m)



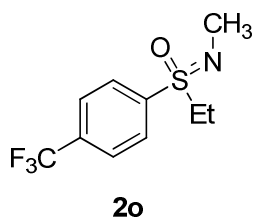
Obtained as Brown liquid. Yield= 198 mg, 93%, R_f = 0.18 (60% EtOAc/Hexane); IR (neat, cm^{-1}): 3547, 2921, 2572, 2302, 2054, 1916, 1737, 1589, 1479, 1139, 1098, 1020, 993, 794, 756, 670; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.47–7.42 (m, 1H), 7.41–7.36 (m, 1H), 7.36–7.32 (m, 1H), 7.13–7.10 (m, 1H), 3.85 (s, 3H), 3.20–3.10 (m, 2H), 2.67 (s, 3H), 1.22 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 160.5, 138.5, 130.5, 121.7, 119.4, 114.1, 55.8, 51.0, 29.6, 7.5. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{16}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$: 214.0902, Obser. 214.0887.

4.14 *N*-Methyl-*S*-(3-methoxyphenyl)-*S*-propylsulfoximine (2n)

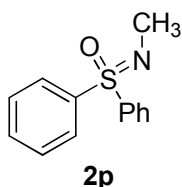


Obtained as Brown liquid. Yield= 209 mg, 92%. R_f = 0.20 (60% EtOAc/Hexane); IR (neat, cm^{-1}): 3347, 2919, 2570, 2302, 1917, 1737, 1640, 1479, 1139, 1098, 1020, 993, 795, 756, 671; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.45 (t, J = 7.9 Hz, 1H), 7.42–7.38 (m, 1H), 7.37–7.33 (m, 1H), 7.13–7.10 (m, 1H), 3.86 (s, 3H), 3.15–3.02 (m, 2H), 2.66 (s, 3H), 1.83–1.74 (m, 1H), 1.70–1.62 (m, 1H), 0.94 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 160.5, 139.1, 130.5, 121.6, 119.4, 114.0, 58.4, 55.8, 29.6, 16.6, 13.0. **HRMS:** Calc. for $\text{C}_{11}\text{H}_{18}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$: 228.1058, Obser. 228.1045.

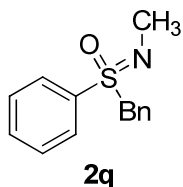
4.15 *N*-Methyl-*S*-ethyl-*S*-(4-trifluoromethylphenyl)sulfoximine (2o)



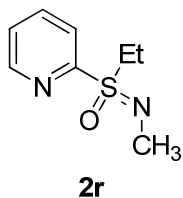
Obtained as Yellow liquid. Yield= 205 mg, 82%. R_f = 0.24 (60% EtOAc/Hexane); IR (neat, cm^{-1}): 2919, 2807, 2300, 2099, 1817, 1611, 1401, 1318, 1241, 1130, 970, 844, 769; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.98 (d, J = 8.3 Hz, 2H), 7.83 (d, J = 8.3 Hz, 2H), 3.25–3.11 (m, 2H), 2.67 (s, 3H), 1.25 (t, J = 7.5 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 141.3, 134.9 (q, J = 32.8 Hz), 130.3, 126.7 (q, J = 3.2 Hz), 123.5 (q, J = 272.8 Hz), 50.9, 29.6, 7.5. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{13}\text{F}_3\text{NOS}$ $[\text{M}+\text{H}]^+$: 252.067, Obser. 252.0653.

4.16 *N*-Methyl-*S,S*-diphenylsulfoximine (2p)⁹

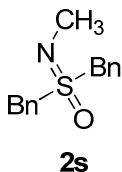
Obtained as White solid. Yield= 208 mg, 90%. R_f = 0.40 (50% EtOAc/Hex); ^1H NMR (500 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 4H), 7.51–7.43 (m, 6H), 2.81 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 140.5, 132.5, 129.3, 128.6, 29.7. **HRMS:** Calc. for $\text{C}_{13}\text{H}_{14}\text{NOS}$ $[\text{M}+\text{H}]^+$: 232.0796, Obser. 232.0794.

4.17 *N*-Methyl-*S,S*-dibenzylsulfoximine (2q)

Obtained as White solid. Yield= 213 mg, 87%. R_f = 0.44 (60% EtOAc/Hexane); IR (KBr, cm^{-1}) 3067, 2927, 2852, 2359, 2330, 1448, 1246, 1240, 1145, 1100, 1080; ^1H NMR (400 MHz, Chloroform-*d*) δ 7.58-7.54 (m, 3H), 7.44-7.40 (m, 2H), 7.26–7.16 (m, 3H), 7.00 (d, J = 7.5 Hz, 2H), 4.37 (s, 2H), 2.73 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 136.4, 133.0, 131.3, 130.0, 129.1, 128.7, 128.4, 126.5, 62.9, 29.9. **HRMS:** Calc. for $\text{C}_{14}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 246.0953, Obser. 246.0949.

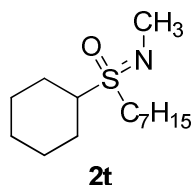
4.18 *N*-Methyl-*S*-ethyl-*S*-(2-pyridyl)sulfoximine (2r)

Obtained as White solid. Yield= 158 mg, 86%. R_f = 0.34 (100% EtOAc); IR (KBr, cm^{-1}): 3260, 3014, 2930, 2871, 1662, 1580, 1411, 1319, 1223, 1068, 1014, 990, 784, 754, 512; ^1H NMR (500 MHz, Chloroform-*d*) δ 8.76 (d, J = 4.0 Hz, 1H), 8.09 (d, J = 7.8 Hz, 1H), 7.95-7.91 (m, 1H), 7.49-7.47 (m, 1H), 3.51 (dq, J = 14.8, 7.4 Hz, 1H), 3.34 (dq, J = 15.0, 7.5 Hz, 1H), 2.67 (s, 3H), 1.24 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 156.3, 150.7, 137.9, 126.5, 124.8, 47.2, 29.8, 7.1. **HRMS:** Calc. for $\text{C}_8\text{H}_{13}\text{N}_2\text{OS}$ $[\text{M}+\text{H}]^+$: 185.0749, Obser. 185.0733.

4.19 *N*-Methyl-*S,S*-dibenzylsulfoximine (2s)

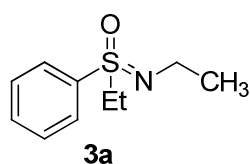
Obtained as White solid. Yield= 225 mg, 87%. R_f = 0.32 (60% EtOAc/Hexane); IR (KBr, cm^{-1}): 3275, 3100, 3062, 3010, 2996, 2908, 1497, 1440, 1416, 1246, 1136, 1053, 1037, 760, 698, 580; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.39–7.34 (m, J = 4.9, 4.2 Hz, 10H), 4.21–4.11 (m, 4H), 2.76 (s, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 131.1, 128.9, 128.9, 128.8, 57.9, 29.7. **HRMS:** Calc. for $\text{C}_{15}\text{H}_{18}\text{NOS}$ $[\text{M}+\text{H}]^+$: 260.1109, Obser. 260.1114

4.20 *N*-Methyl-*S*-cyclohexyl-*S*-heptylsulfoximine (2t)



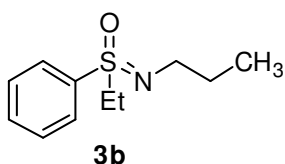
Obtained as Yellow viscous liquid. Yield= 212 mg, 82%. R_f = 0.44 (40% EtOAc/Hexane); IR (neat, cm^{-1}): 3530, 2924, 2875, 2811, 2313, 1981, 1640, 1450, 1133, 958, 860, 770, 670; ^1H NMR (500 MHz, Chloroform-*d*) δ 2.99–2.84 (m, 3H), 2.78 (s, 3H), 1.90 (d, J = 11.6 Hz, 2H), 1.77–1.69 (m, 3H), 1.54–1.45 (m, 3H), 1.41–1.21 (m, 12H), 0.86 (t, J = 6.7 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 61.1, 48.4, 31.6, 28.9, 28.9, 26.4, 26.2, 25.8, 25.7, 25.4, 22.8, 22.6. **HRMS:** Calc. for $\text{C}_{14}\text{H}_{30}\text{NOS}$ $[\text{M}+\text{H}]^+$: 260.2048, Obser. 260.2045.

4.21 *N*-Ethyl-*S*-ethyl-*S*-phenylsulfoximine (3a)



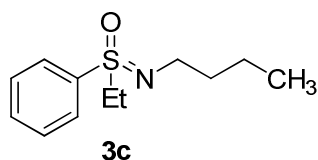
Obtained as Transparent oil. Yield= 177 mg, 90%. R_f = 0.30 (40% EtOAc/Hexane); IR (neat, cm^{-1}): 3061, 2975, 2930, 2873, 2818, 1644, 1445, 1249, 1151; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.95–7.75 (m, 2H), 7.64–7.57 (m, 1H), 7.57–7.49 (m, 2H), 3.23–3.10 (m, 2H), 3.03 (dq, J = 12.3, 7.2 Hz, 1H), 2.87 (dq, J = 12.3, 7.2 Hz, 1H), 1.18 (dt, J = 14.4, 7.3 Hz, 6H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 137.9, 132.9, 129.6, 129.4, 51.0, 38.6, 18.5, 7.6. **HRMS:** Calc. for $\text{C}_{10}\text{H}_{15}\text{NOS}$ $[\text{M}+\text{H}]^+$: 198.0953, Obser. 198.0926.

4.22 *N*-Propyl-*S*-ethyl-*S*-phenylsulfoximine (3b)



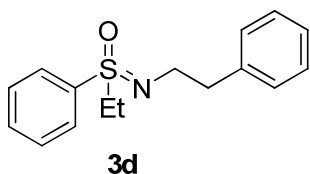
Obtained as Transparent oil, yield= (192 mg, 91%), R_f = 0.34 (40% EtOAc/Hexane); IR (neat, cm^{-1}): 3073, 2995, 2934, 2870, 2820, 1640, 1445, 1249, 1140; ^1H NMR (500 MHz, Chloroform-*d*) δ 7.82 (d, J = 7.0 Hz, 2H), 7.57 (dd, J = 8.4, 6.2 Hz, 1H), 7.52 (t, J = 7.3 Hz, 2H), 3.21–3.08 (m, 2H), 2.91 (dt, J = 12.1, 7.2 Hz, 1H), 2.74 (dt, J = 12.1, 7.2 Hz, 1H), 1.54 (h, J = 7.3 Hz, 2H), 1.18 (t, J = 7.4 Hz, 3H), 0.86 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 137.9, 132.8, 129.6, 129.4, 50.9, 45.7, 26.2, 11.9, 7.6. **HRMS:** Calc. for $\text{C}_{11}\text{H}_{17}\text{NOS}$ $[\text{M}+\text{H}]^+$: 212.1109, Obser. 212.1081.

4.23 *N*-Butyl-*S*-ethyl-*S*-phenylsulfoximine (3c)



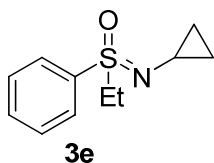
Obtained as Pale yellow oil. Yield= 205 mg, 91%. R_f = 0.26 (50% EtOAc/Hexane); IR (neat, cm^{-1}): 3831, 3404, 2928, 2674, 2097, 1658, 1581, 1531, 1447, 1368, 1085; ^1H NMR (500 MHz, Chloroform- d) δ 7.84 (d, J = 7.4 Hz, 2H), 7.66–7.46 (m, 3H), 3.16 (m, 2H), 2.96 (dt, J = 12.3, 7.2 Hz, 1H), 2.79 (dt, J = 12.2, 7.2 Hz, 1H), 1.56–1.50 (m, 2H), 1.33 (h, J = 7.3 Hz, 2H), 1.19 (t, J = 7.4 Hz, 3H), 0.85 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 138.0, 132.8, 129.6, 129.4, 51.0, 43.6, 35.2, 20.5, 14.0, 7.6. **HRMS:** Calc. for $\text{C}_{12}\text{H}_{20}\text{NOS}$ $[\text{M}+\text{H}]^+$: 226.1266, Obser. 226.1273

4.24 *N*-Ethylphenyl-*S*-ethyl-*S*-phenylsulfoximine (3d)



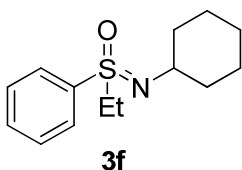
Obtained as Viscous oil. Yield= 256 mg, 94%. R_f = 0.32 (60% EtOAc/Hexane); IR (neat, cm^{-1}): 3631, 3444, 2928, 2676, 2087, 1658, 1581, 1521, 1447, 1368, 1085 976, 863, 782, 742, 688; ^1H NMR (500 MHz, Chloroform- d) δ 7.71 (d, J = 7.2 Hz, 2H), 7.57 (t, J = 7.4 Hz, 1H), 7.49 (t, J = 7.6 Hz, 2H), 7.26–7.22 (m, 2H), 7.18 (d, J = 7.1 Hz, 3H), 3.26 (dt, J = 12.0, 7.9 Hz, 1H), 3.20–3.11 (m, 2H), 3.02 (dt, J = 12.0, 7.9 Hz, 1H), 2.93–2.83 (m, 2H), 1.20 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, Chloroform- d) δ 140.7, 137.7, 132.9, 129.7, 129.4, 129.2, 128.3, 126.1, 51.1, 45.8, 39.8, 7.7. **HRMS:** Calc. for $\text{C}_{16}\text{H}_{20}\text{NOS}$ $[\text{M}+\text{H}]^+$: 274.1266, Obser. 274.1263

4.25 *N*-Cylcopropyl-*S*-ethyl-*S*-phenylsulfoximine (3e)



Obtained as Viscous oil, Yield= 198 mg, 95%. R_f = 0.42 (60% EtOAc/Hexane); IR (neat, cm^{-1}): 3577, 3391, 3054, 3017, 2905, 2870, 2814, 2673, 1990, 1730, 1640, 863, 793, 742, 690. ^1H NMR (500 MHz, Chloroform- d) δ 7.90 (d, J = 7.8 Hz, 2H), 7.63–7.59 (m, 1H), 7.56 (t, J = 7.4 Hz, 2H), 3.25–3.11 (m, 2H), 2.38 (tt, J = 7.4, 4.0 Hz, 1H), 1.19 (t, J = 7.4 Hz, 3H), 0.61–0.56 (m, 1H), 0.51–0.43 (m, 1H), 0.42–0.35 (m, 2H). ^{13}C NMR (125 MHz, Chloroform- d) δ 138.2, 133.0, 129.5, 129.4, 50.7, 26.2, 7.4, 7.2, 6.4. **HRMS:** Calc. for $\text{C}_{11}\text{H}_{16}\text{NOS}$ $[\text{M}+\text{H}]^+$: 210.0953, Obser. 210.0946

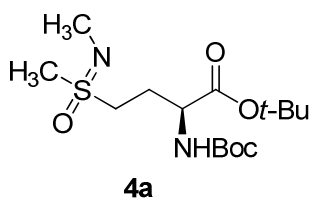
4.26 *N*-Cyclohexyl-*S*-ethyl-*S*-phenylsulfoximine (3f)



Obtained as Transparent liquid. Yield= 228 mg, 91%. R_f = 0.38 (40% EtOAc/Hexane); IR (neat, cm^{-1}): 3059, 2940, 2852, 2359, 2331, 1448, 1247, 1130.; ^1H NMR (500 MHz, Chloroform- d) δ 7.86 (d, J = 7.4 Hz, 2H), 7.57 (t, J = 7.3 Hz, 1H), 7.52 (t, J = 7.4 Hz, 2H), 3.18-3.06 (m, 2H), 2.91-2.85 (m, 1H), 1.88-1.86 (m, 1H), 1.70-1.63 (m, 3H), 1.46-1.21 (m, 3H), 1.17-1.14 (m, 4H), 1.12-1.05 (m, 2H). ^{13}C NMR (125 MHz, Chloroform- d) δ 139.2, 132.7, 129.5, 129.2, 54.0, 51.2, 37.9, 36.7, 25.8, 25.6, 25.4, 7.6.

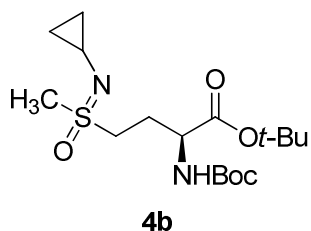
HRMS: Calc. for $\text{C}_{14}\text{H}_{22}\text{NOS}$ $[\text{M}+\text{H}]^+$: 252.1422, Obser. 252.1419

4.27 L-Methionine Sulfoximine Derivative (4a)

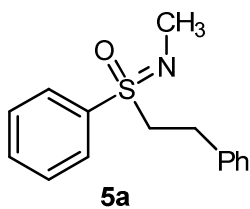


Obtained as White semi-solid. Yield= 319 mg, 91%. R_f = 0.48 (20% MeOH/ CHCl_3); IR (neat, cm^{-1}): 3845, 3322, 2941, 2817, 2641, 2320, 2082, 1717, 1529, 1446, 1223, 1148, 1047, 853, 744; ^1H NMR (500 MHz, Chloroform- d) δ 5.31 (m, 1H), 4.28-4.20 (m, 1H), 3.26-3.00 (m, 2H), 2.90 (s, 3H), 2.77 (d, J = 3.4 Hz, 3H), 2.37-2.28 (m, 1H), 2.14-2.04 (m, 1H), 1.47 (s, 9H), 1.43 (s, 9H). ^{13}C NMR (125 MHz, Chloroform- d) δ 170.6, 155.6, 83.1, 83.1, 80.4, 52.8, 50.0, 39.0, 39.0, 29.2, 29.2, 28.4, 28.1, 27.0. **HRMS:** Calc. for $\text{C}_{15}\text{H}_{31}\text{N}_2\text{O}_5\text{S}$ $[\text{M}+\text{H}]^+$: 351.1954, Obser. 351.1964

4.28 L-Methionine Sulfoximine Derivative (4b)



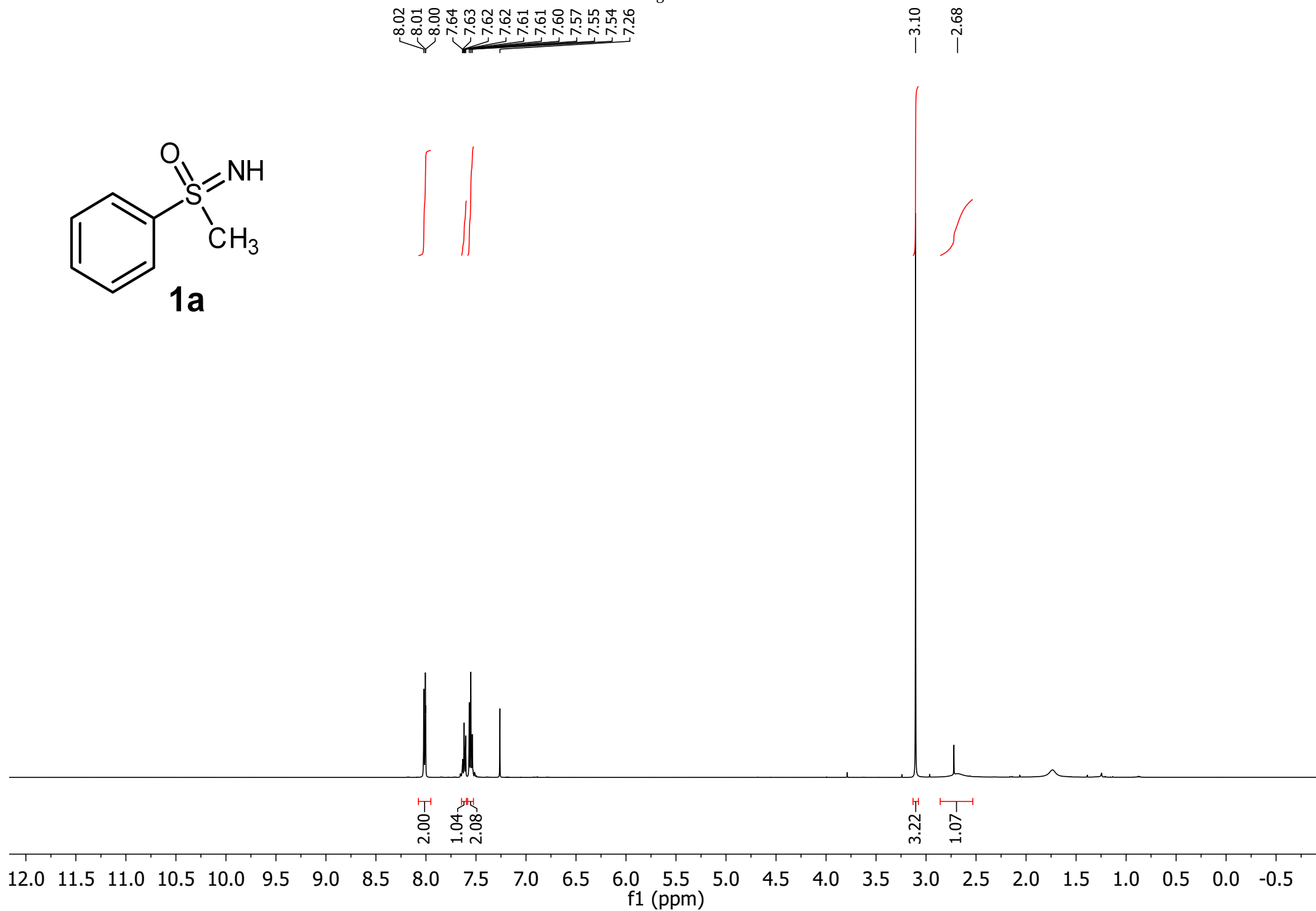
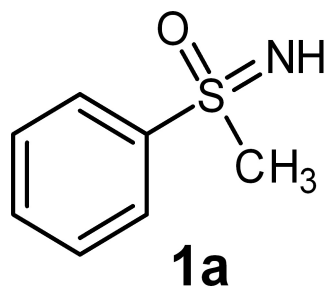
Obtained as White semi-solid. Yield= 334 mg, 89%. R_f = 0.44 (20% MeOH/ CHCl_3); IR (neat, cm^{-1}): 3885, 3342, 2949, 2661, 2320, 2082, 1719, 1530, 1440, 1223, 1148, 1047, 844, 746; ^1H NMR (500 MHz, Chloroform- d) δ 5.38 (dd, J = 42.7, 6.7 Hz, 1H), 4.23 (s, 1H), 3.32-3.02 (m, 2H), 2.94 (d, J = 7.8 Hz, 3H), 2.57-2.49 (m, 1H), 2.40-2.33 (m, 1H), 2.15-2.06 (m, 1H), 1.89 (s, 1H), 1.46 (s, 9H), 1.43 (s, 9H), 0.55 (dt, J = 7.4, 4.2 Hz, 2H), 0.46 (dt, J = 6.2, 3.2 Hz, 2H). ^{13}C NMR (125 MHz, Chloroform- d) δ 170.4, 155.4, 82.9, 80.1, 52.7, 50.4, 50.2, 39.3, 29.6, 28.4, 28.2, 27.9, 25.6, 6.7. **HRMS:** Calc. for $\text{C}_{17}\text{H}_{33}\text{N}_2\text{O}_5\text{S}$ $[\text{M}+\text{H}]^+$: 377.211, Obser. 377.2138.

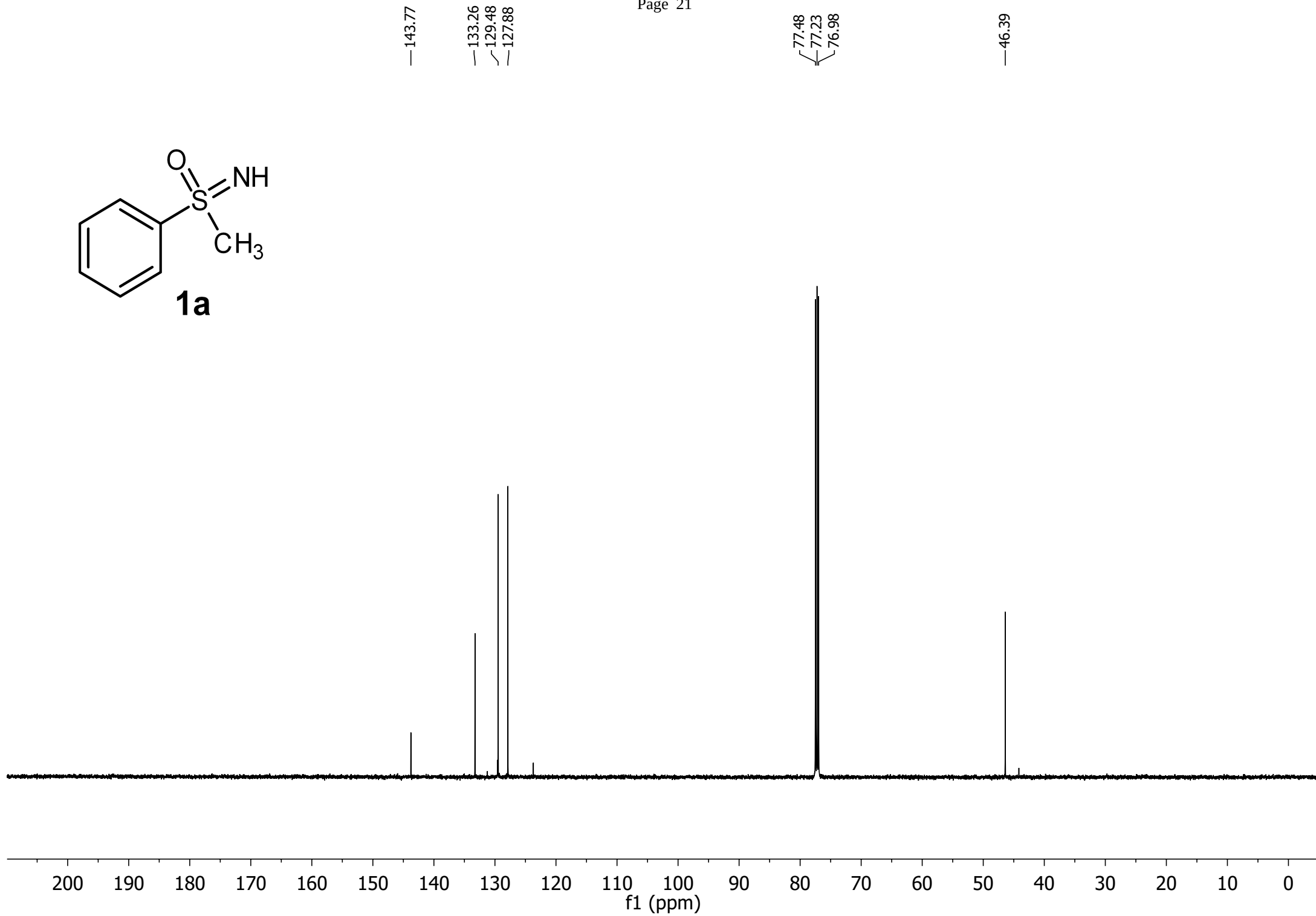
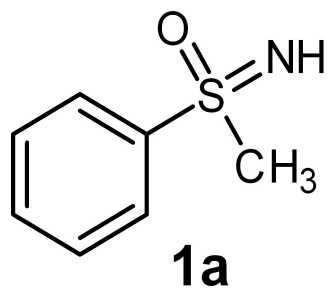
4.29 N-Methyl S-phenyl S-(2-phenylethyl) sulfoximine (5a)¹¹

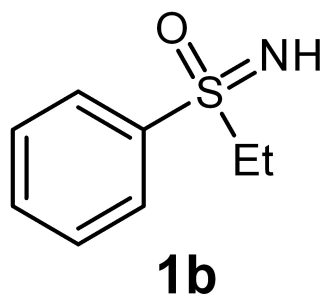
Obtained as transparent oil. Yield= 222 mg, 86%. ¹H NMR (500 MHz, Chloroform-*d*) δ 7.97–7.90 (m, 2H), 7.70–7.59 (m, 3H), 7.32–7.21 (m, 3H), 7.18–7.12 (m, 2H), 3.50 (m, 1H), 3.38 (m, 1H), 3.17 (m, 1H), 3.01 (m, 1H), 2.76 (s, 3H). ¹³C NMR (125 MHz, Chloroform-*d*) δ 137.9, 137.6, 133.1, 129.6, 129.5, 128.9, 128.5, 126.9, 57.8, 29.6, 28.9.

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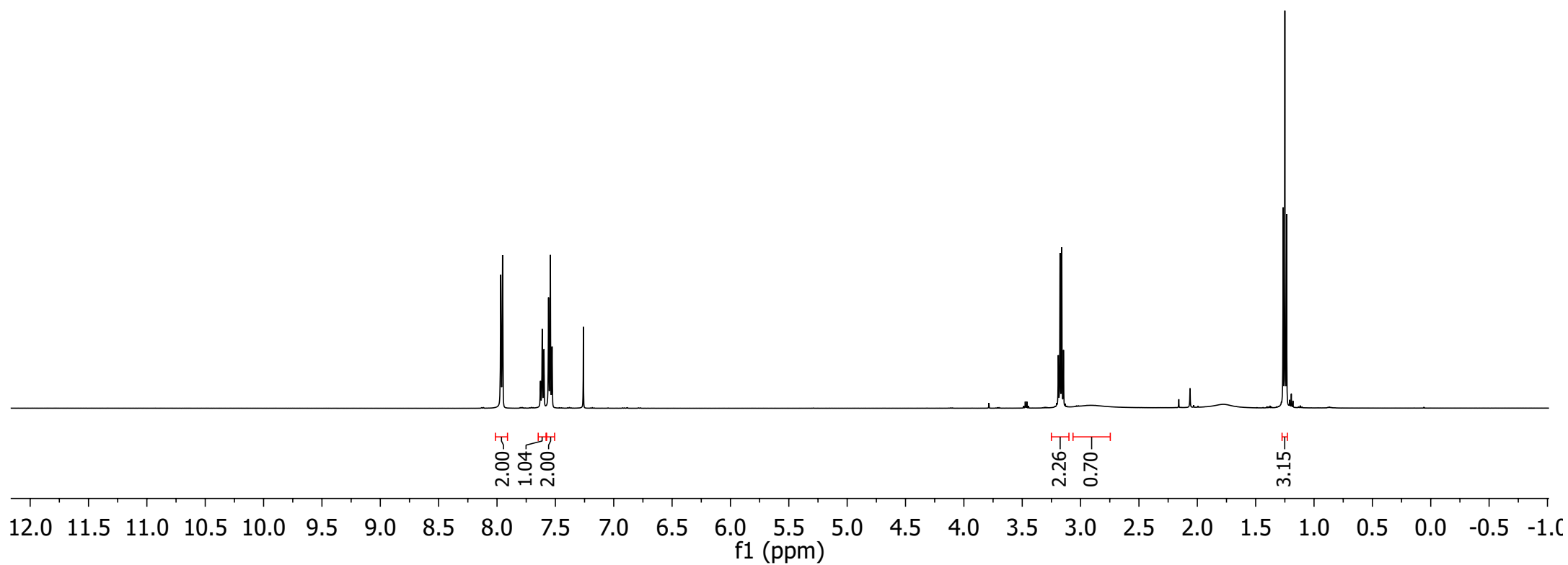


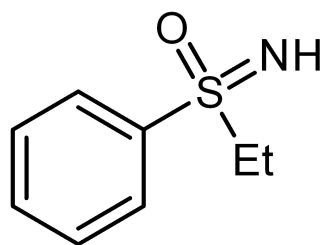
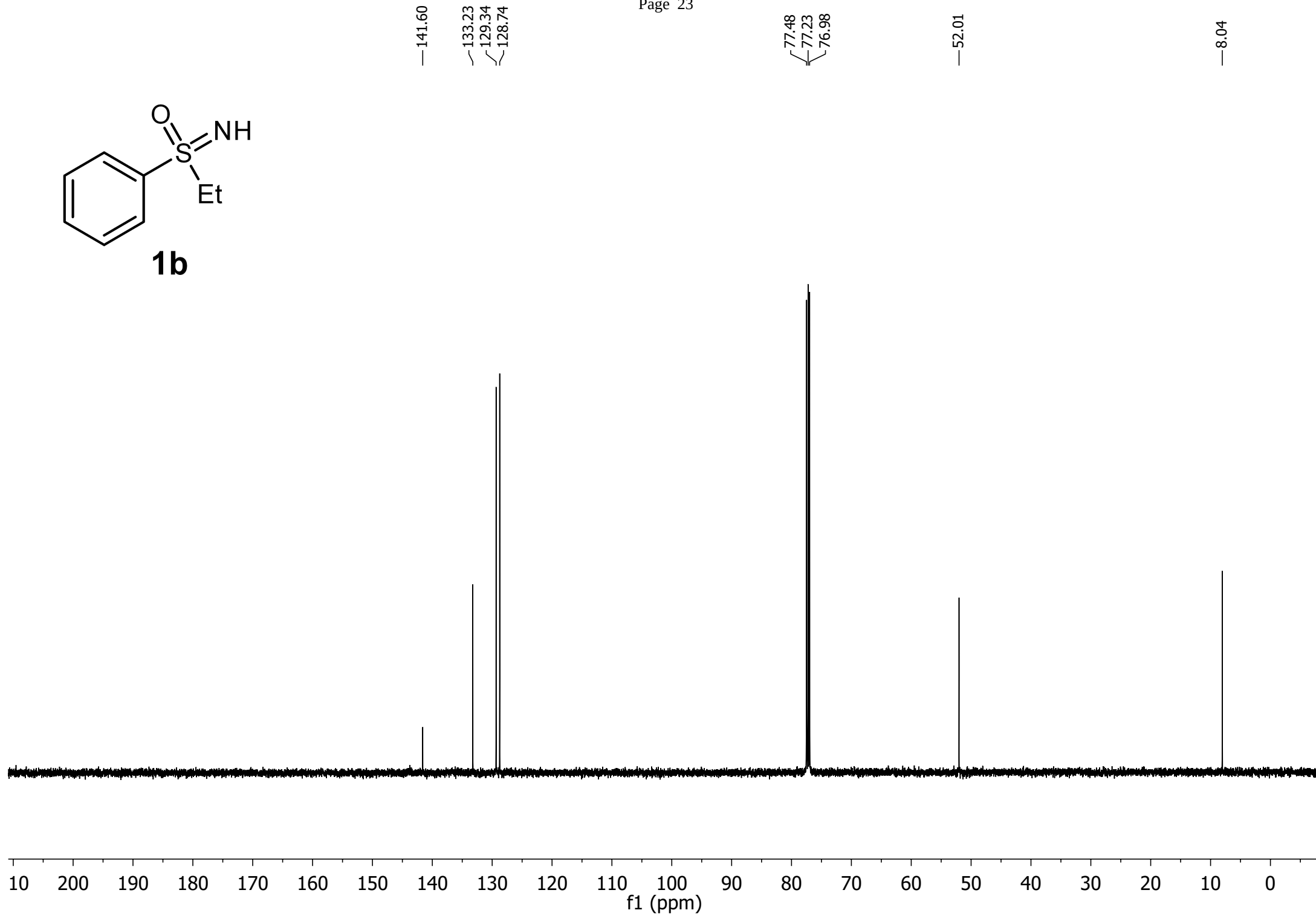


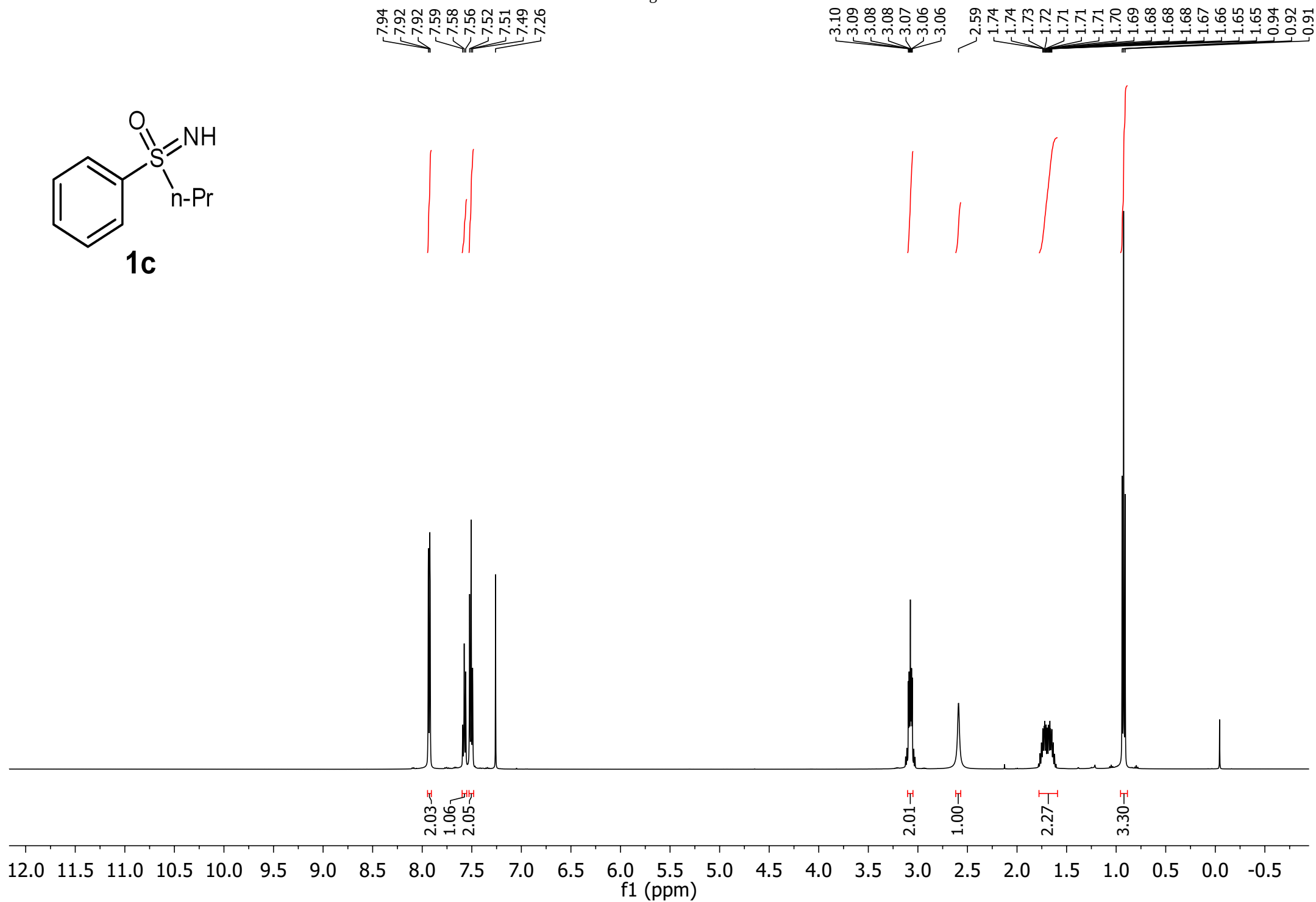
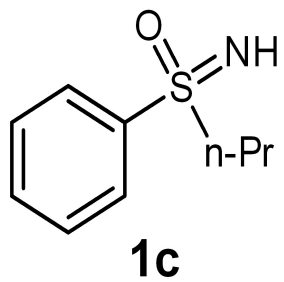
7.97
7.95
7.95
7.63
7.61
7.60
7.56
7.54
7.53
7.26

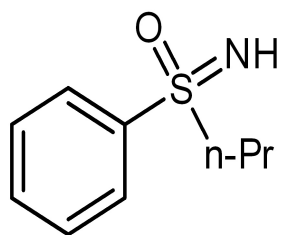
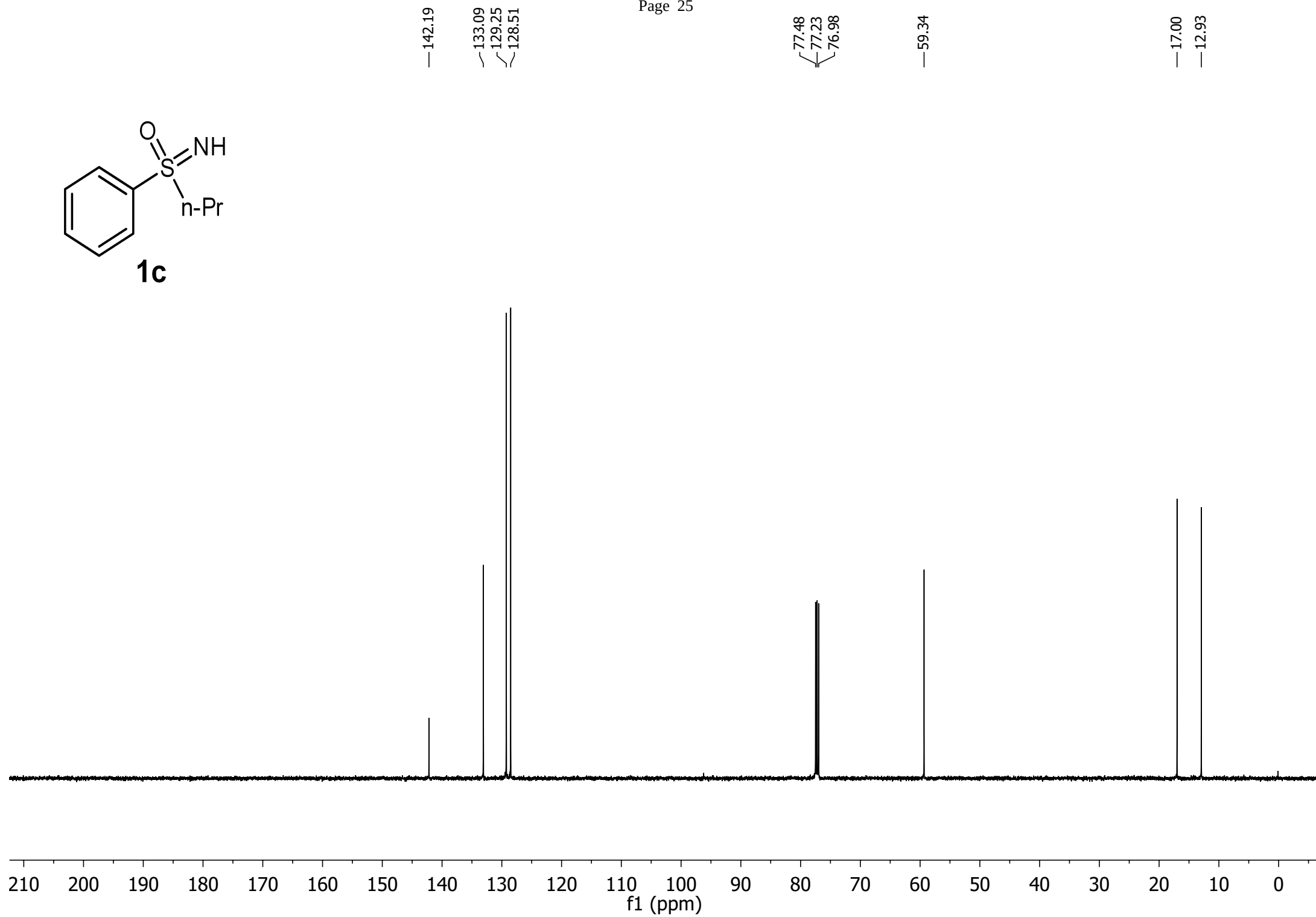
3.19
3.18
3.16
3.15
2.90

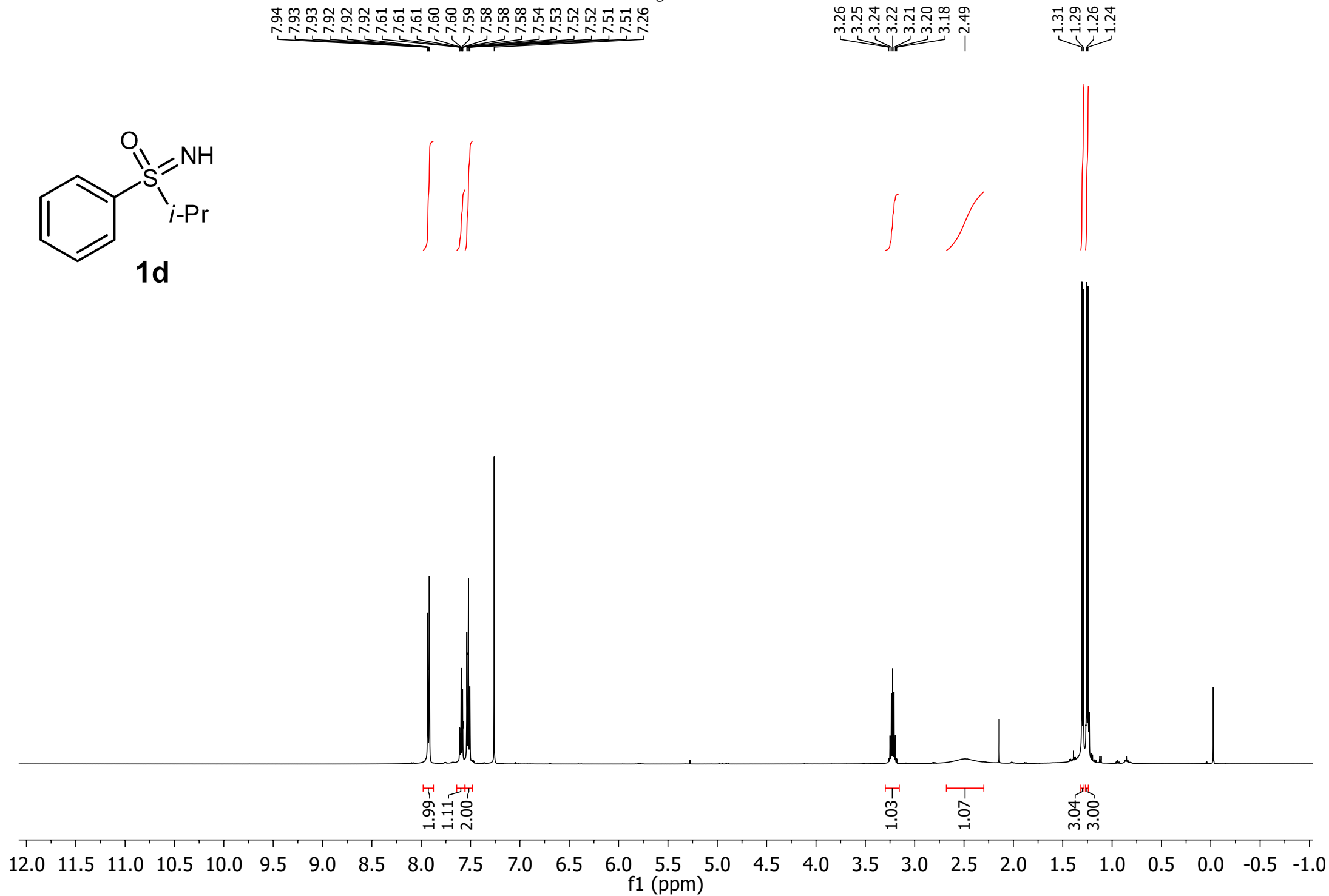
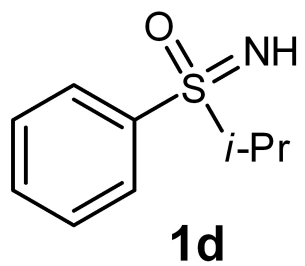
1.26
1.25
1.23

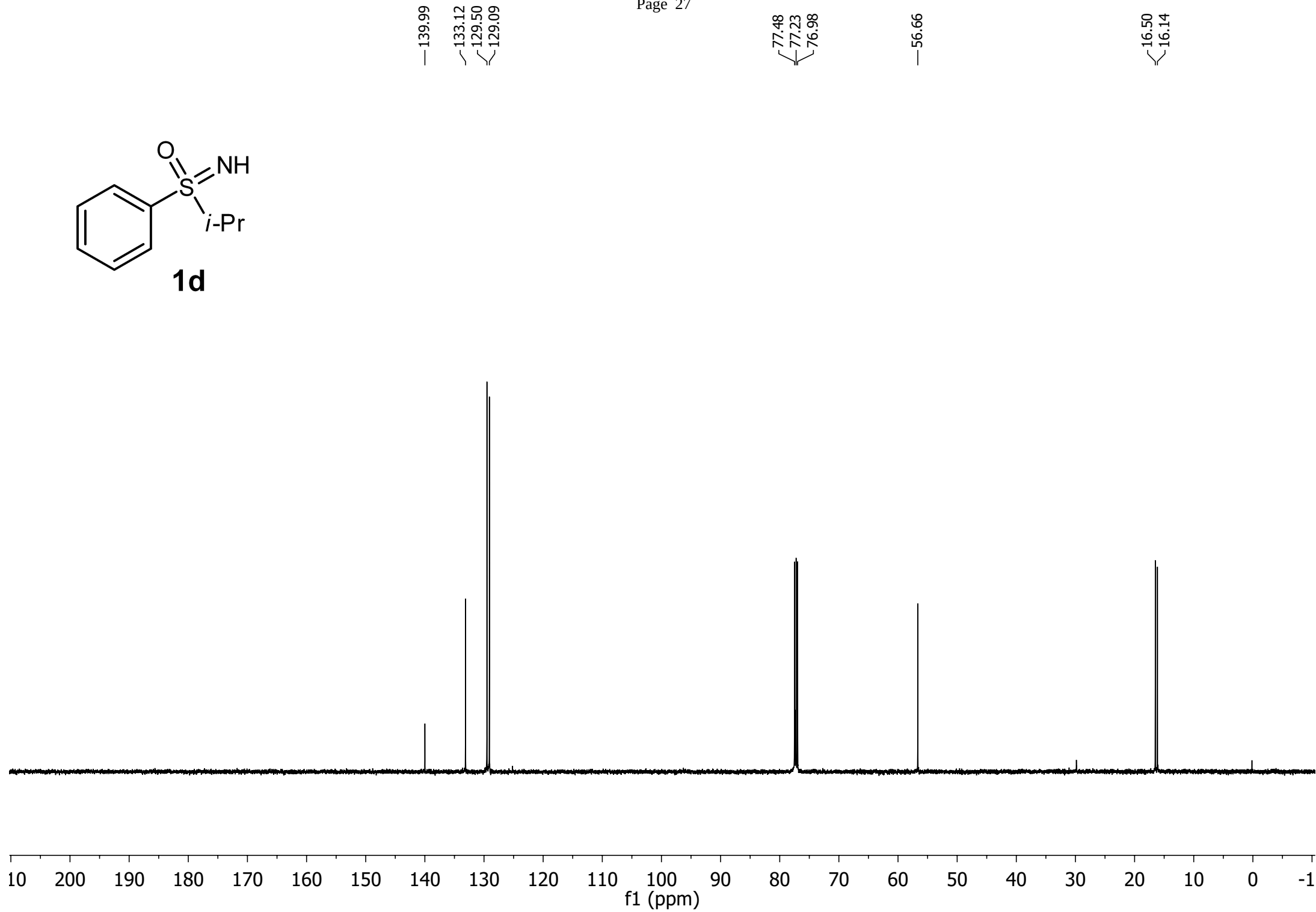
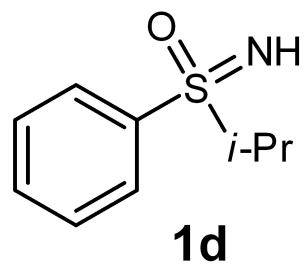


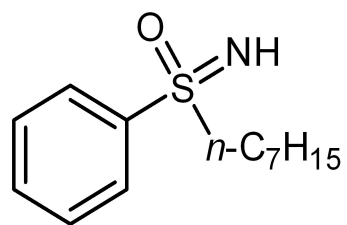
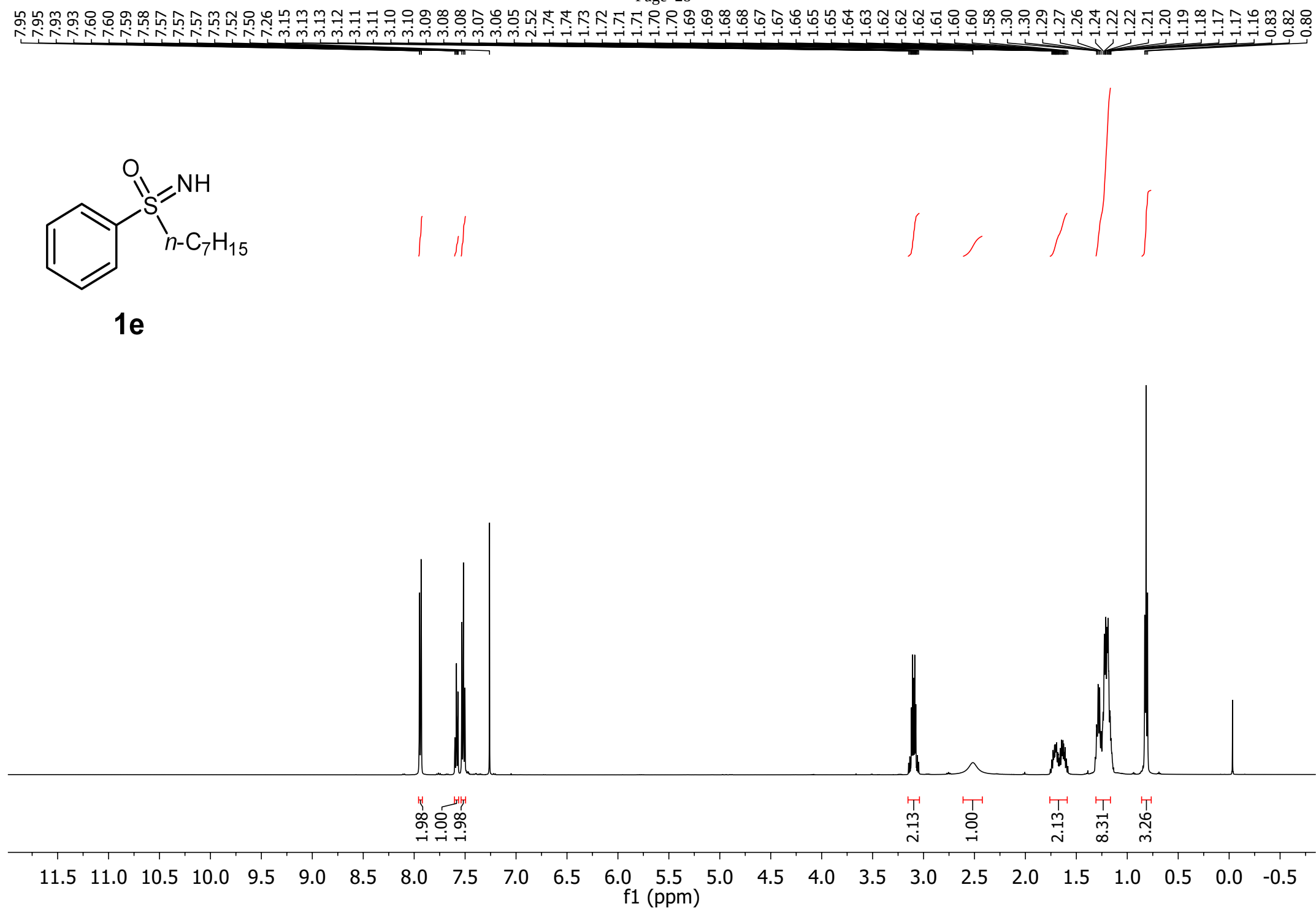
**1b**

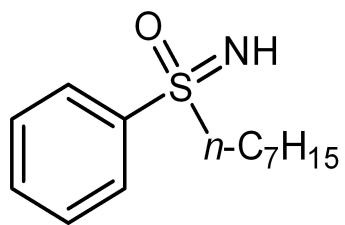
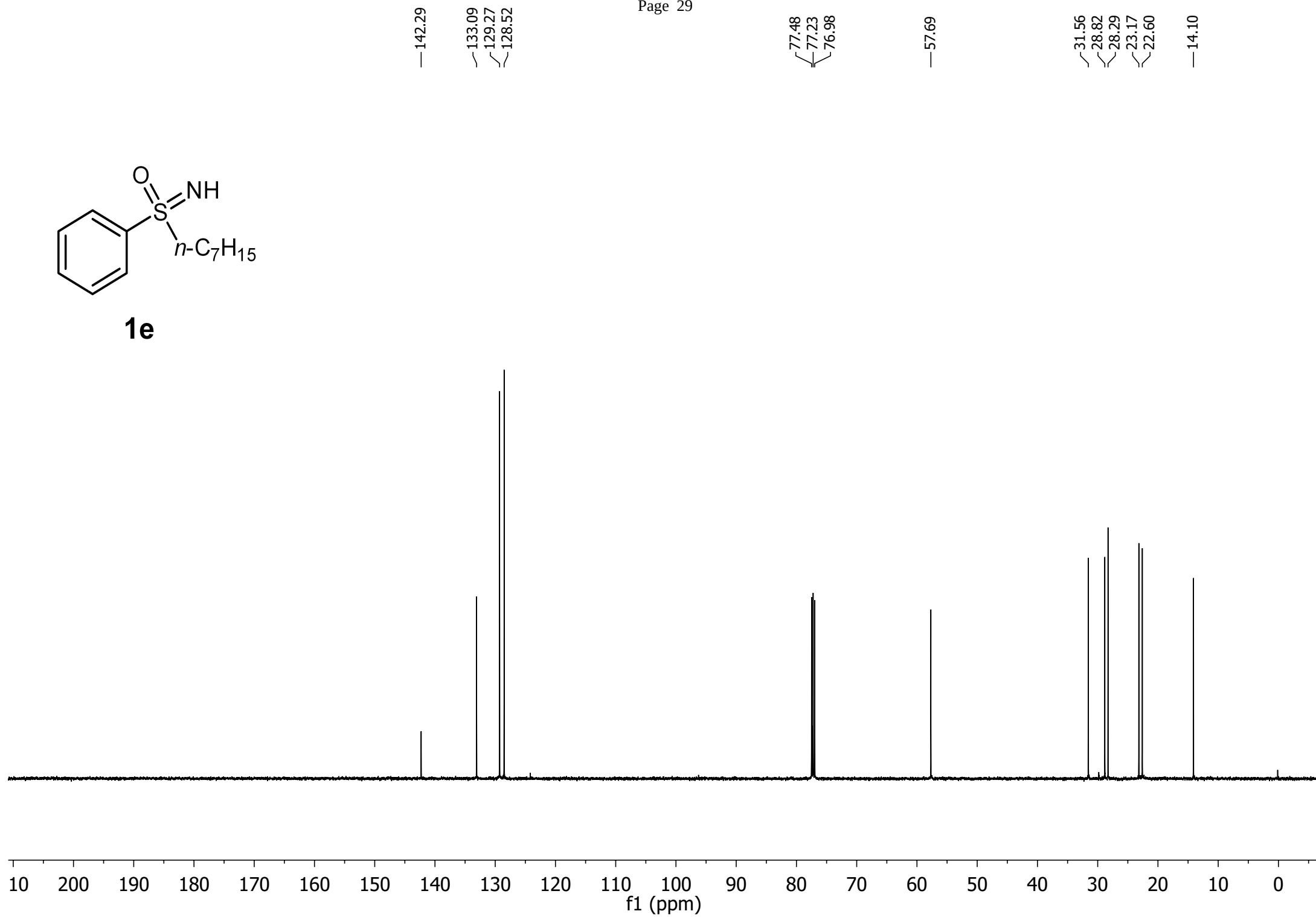


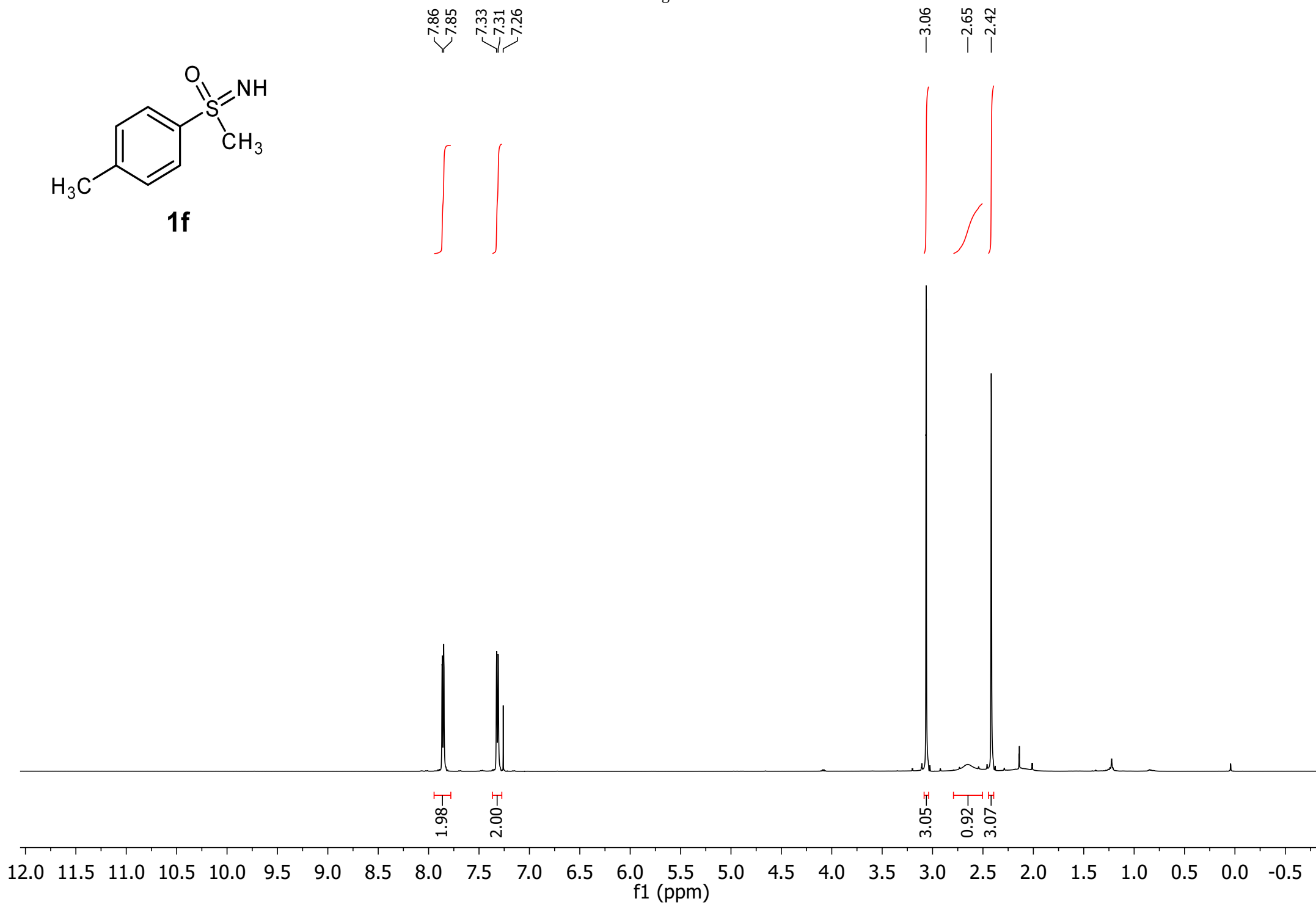
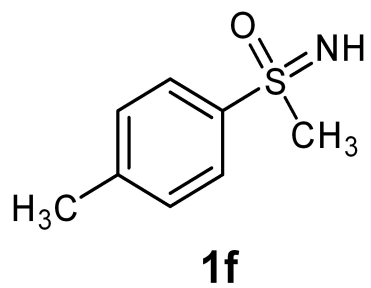
**1c**

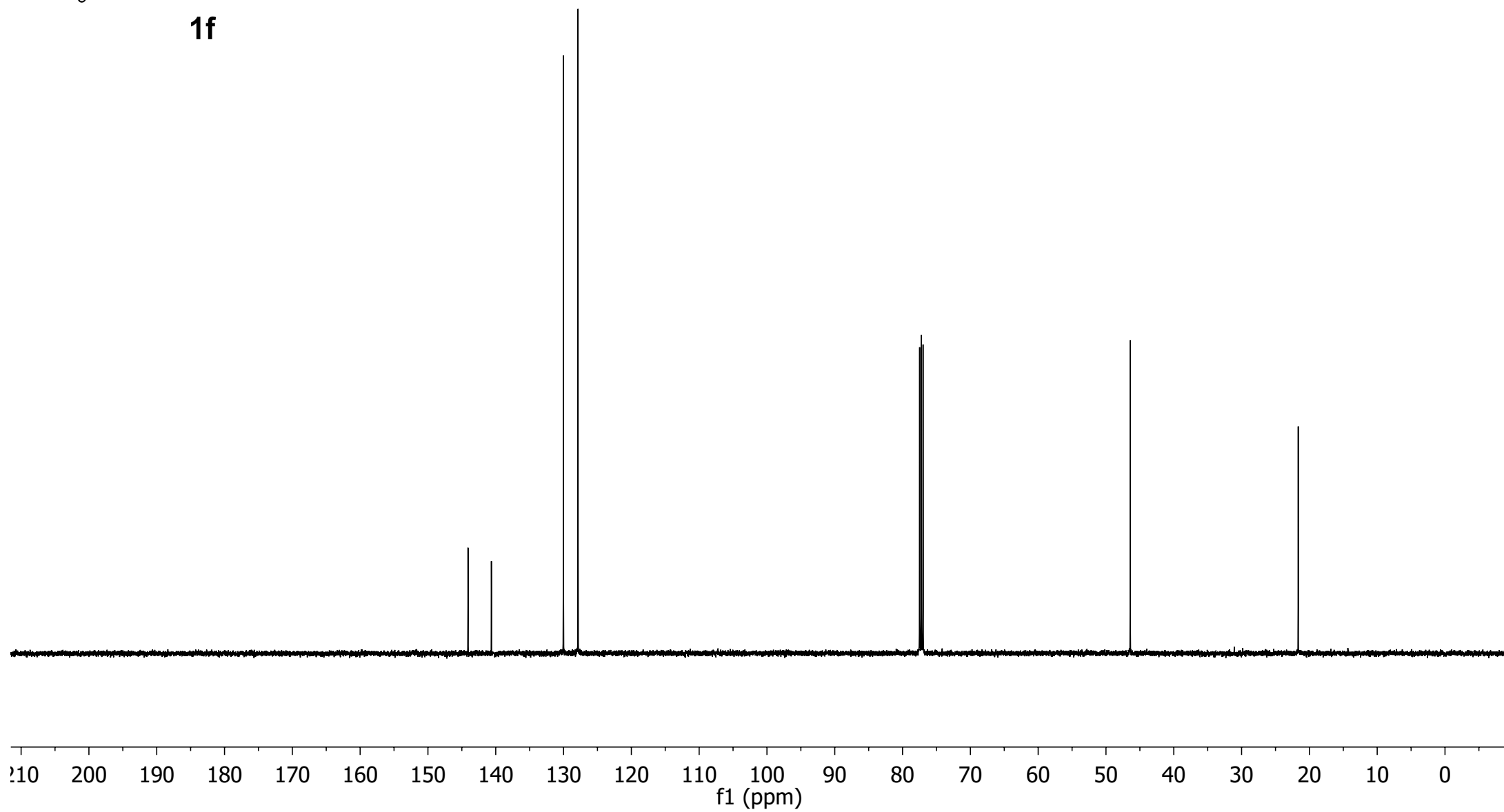
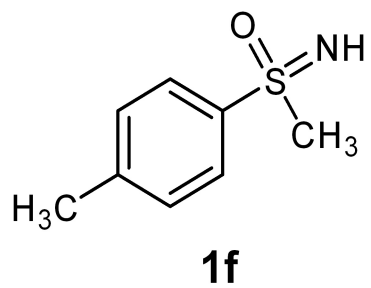


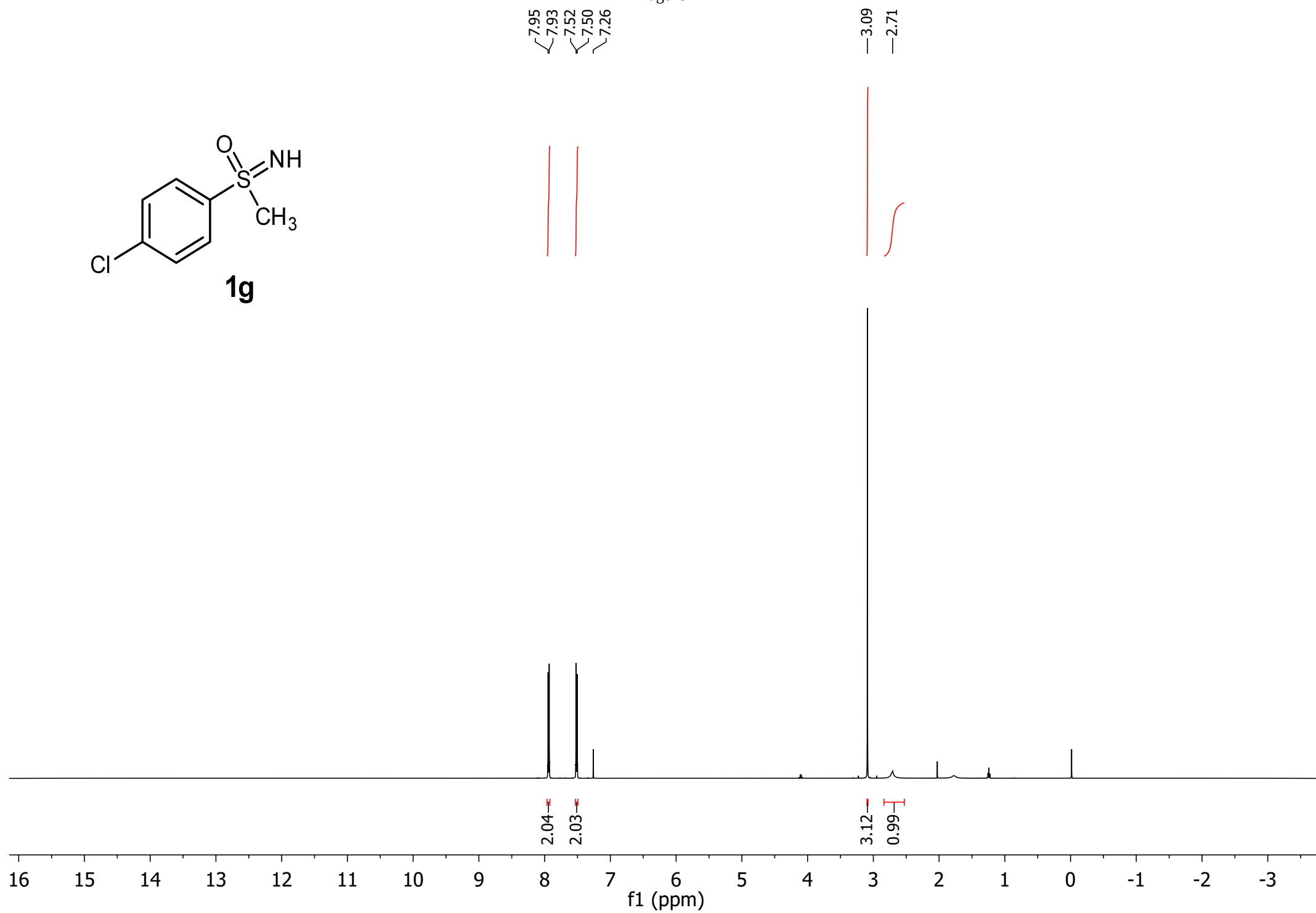
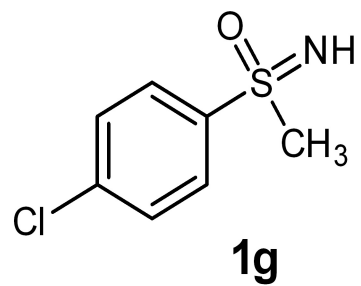


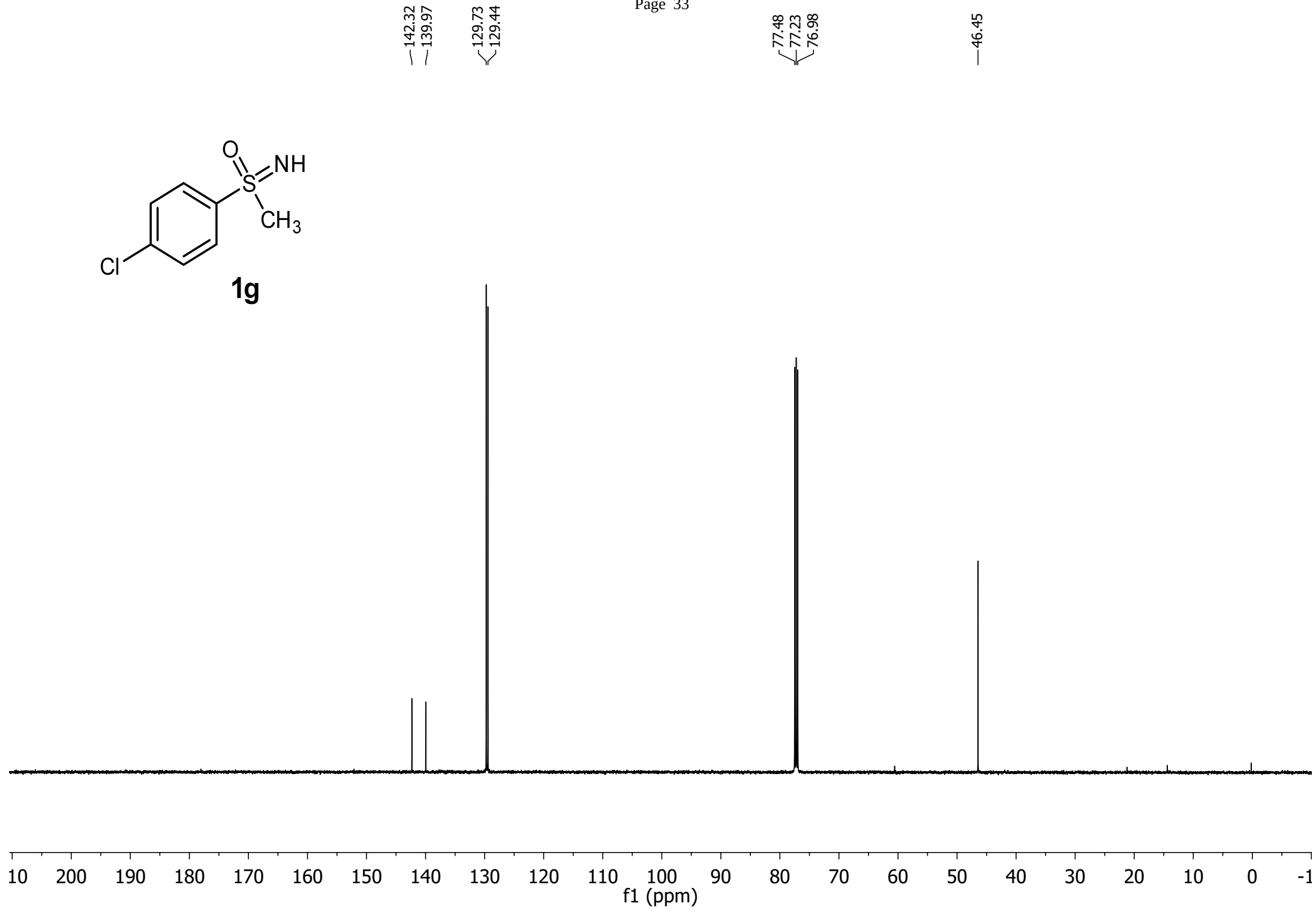
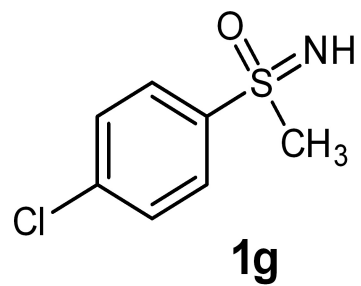
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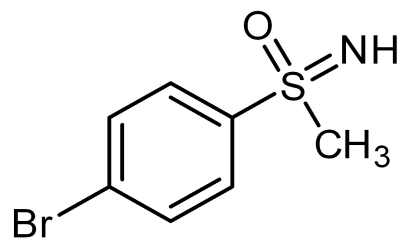
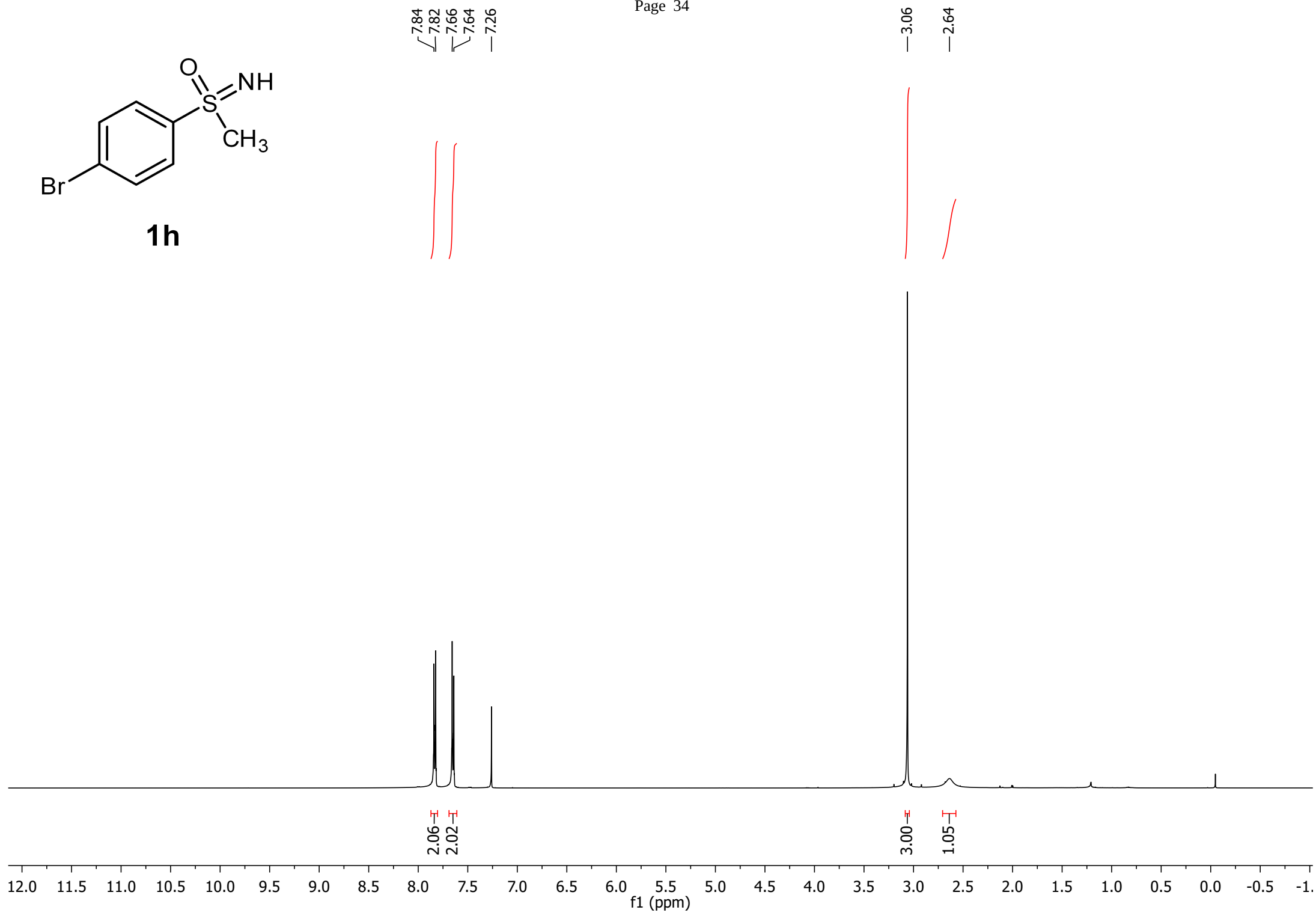
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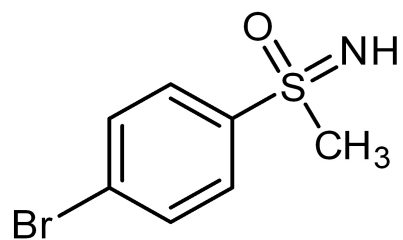
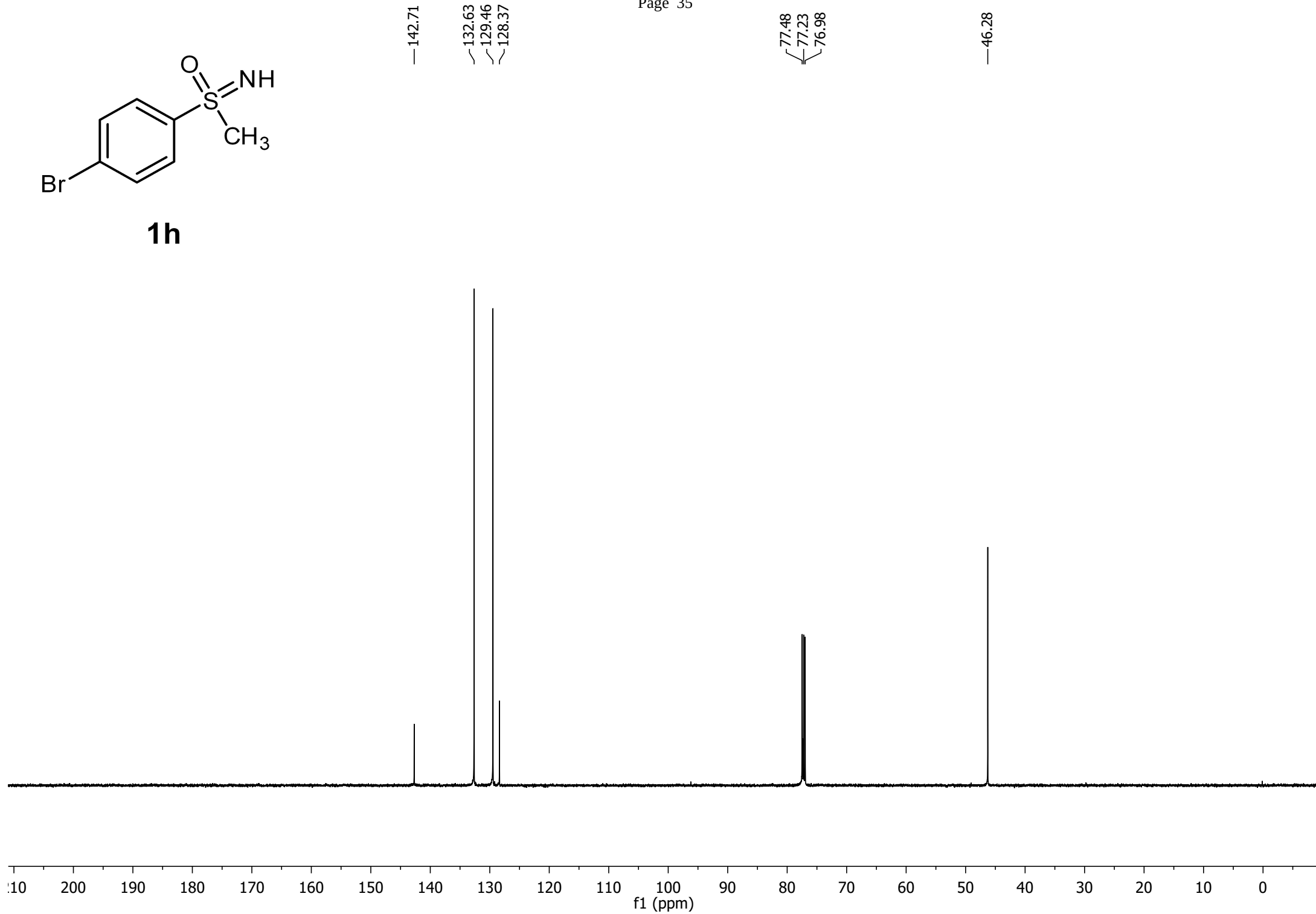


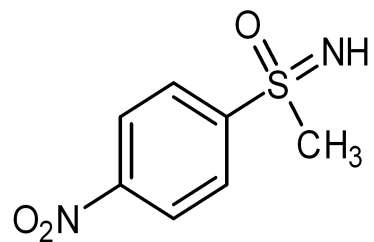
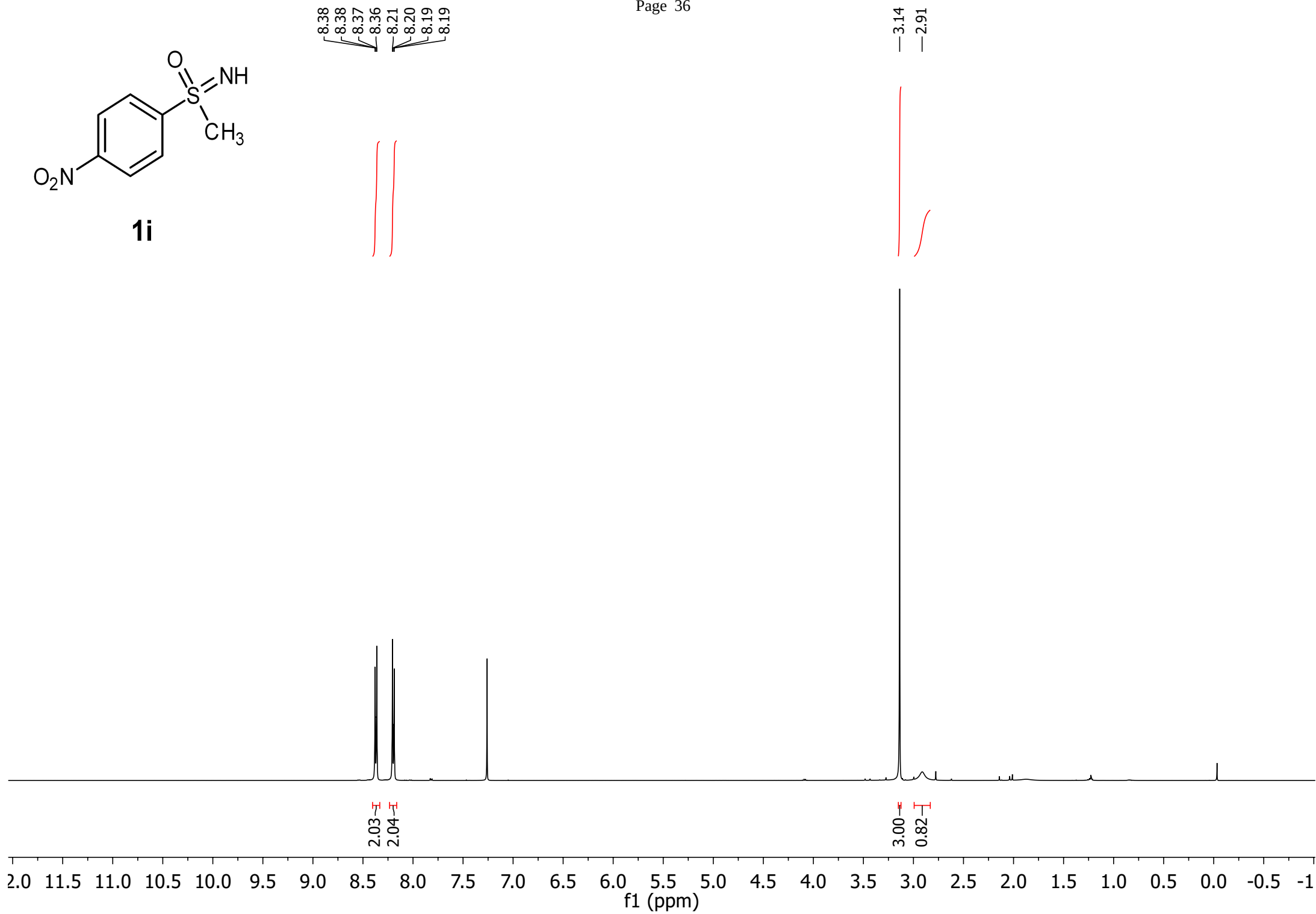


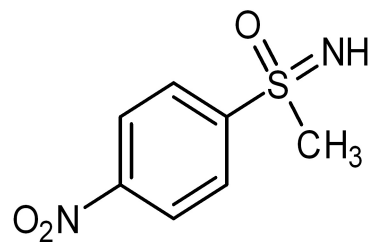




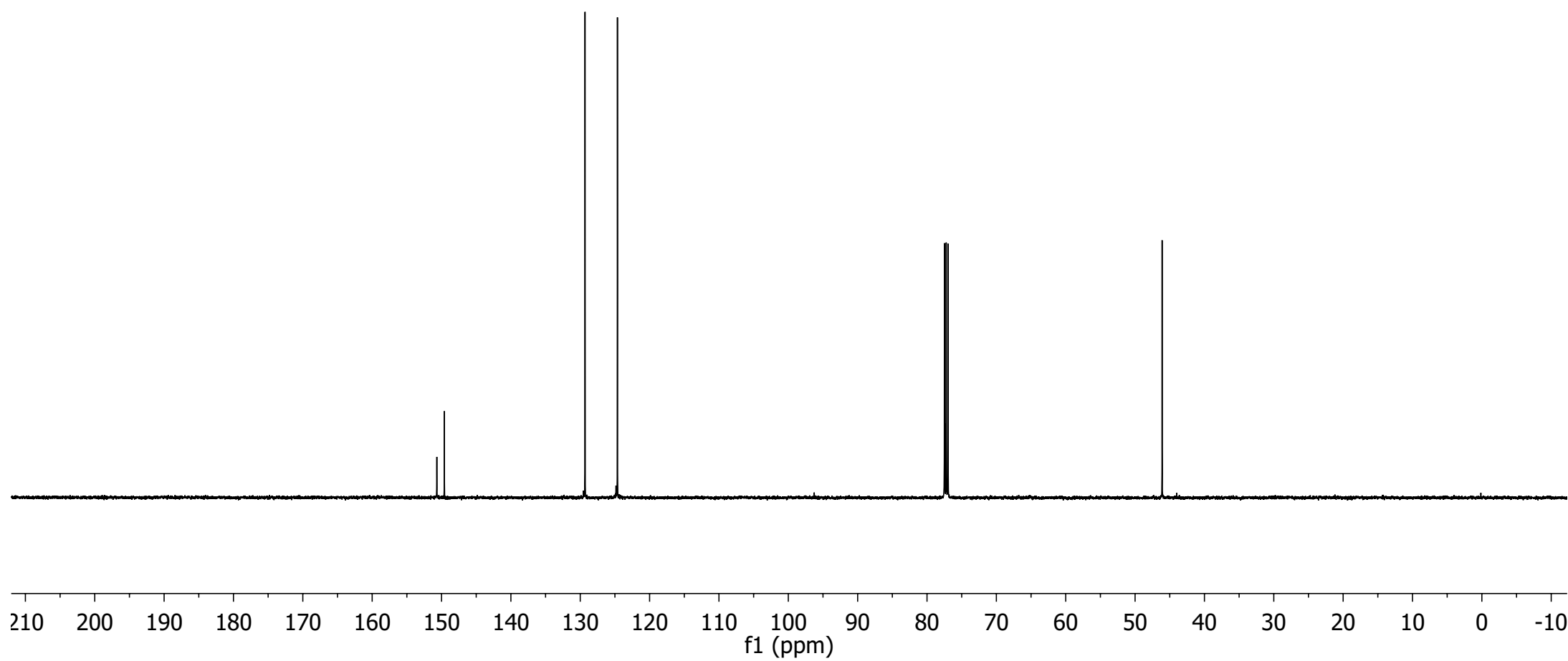
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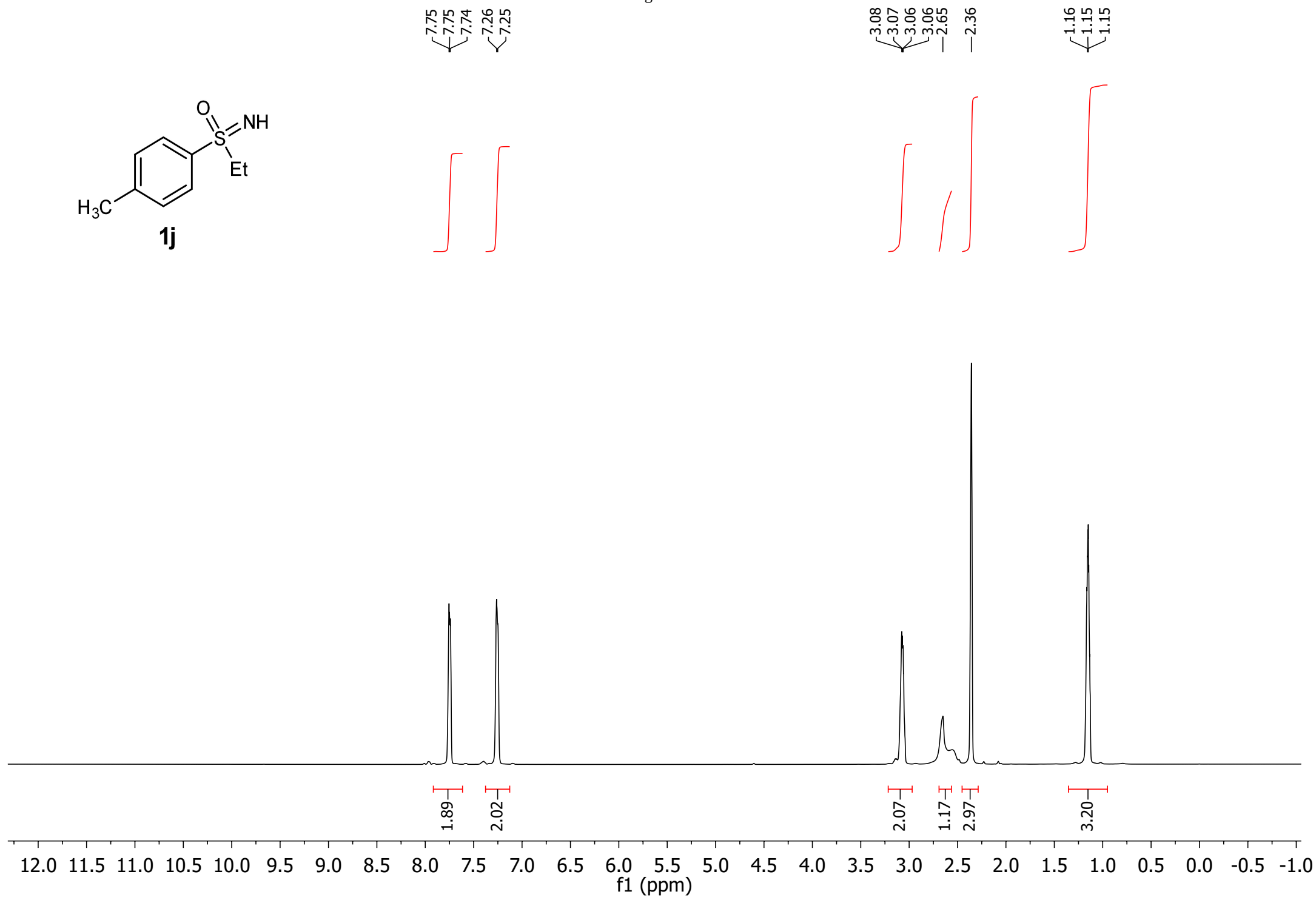
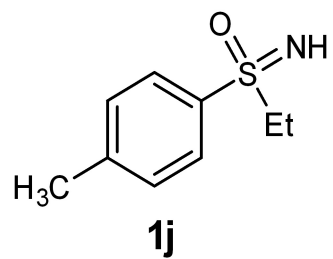
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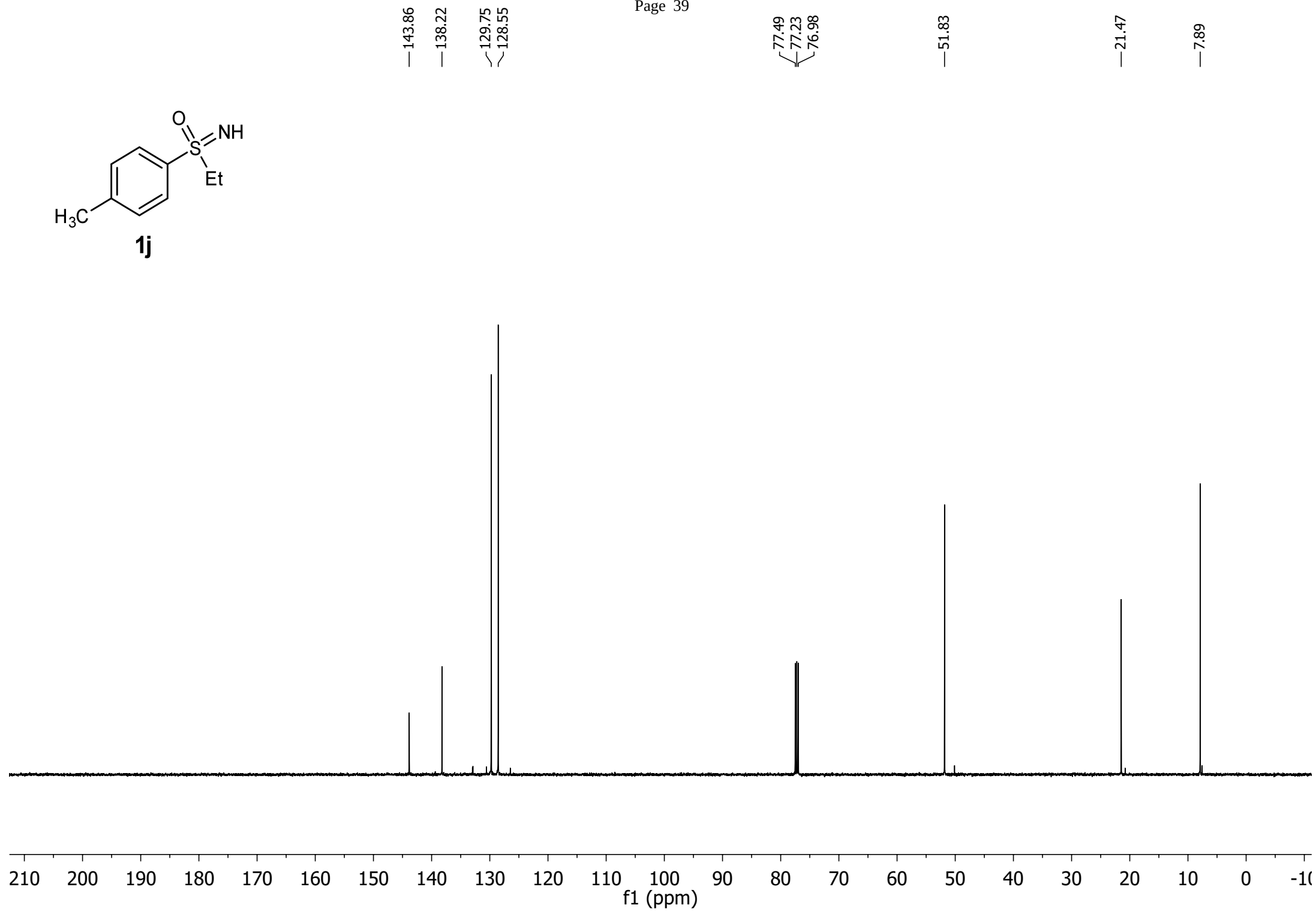
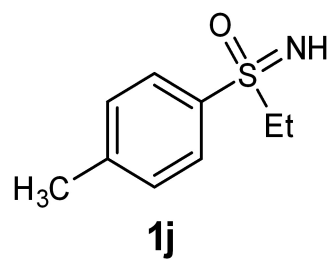
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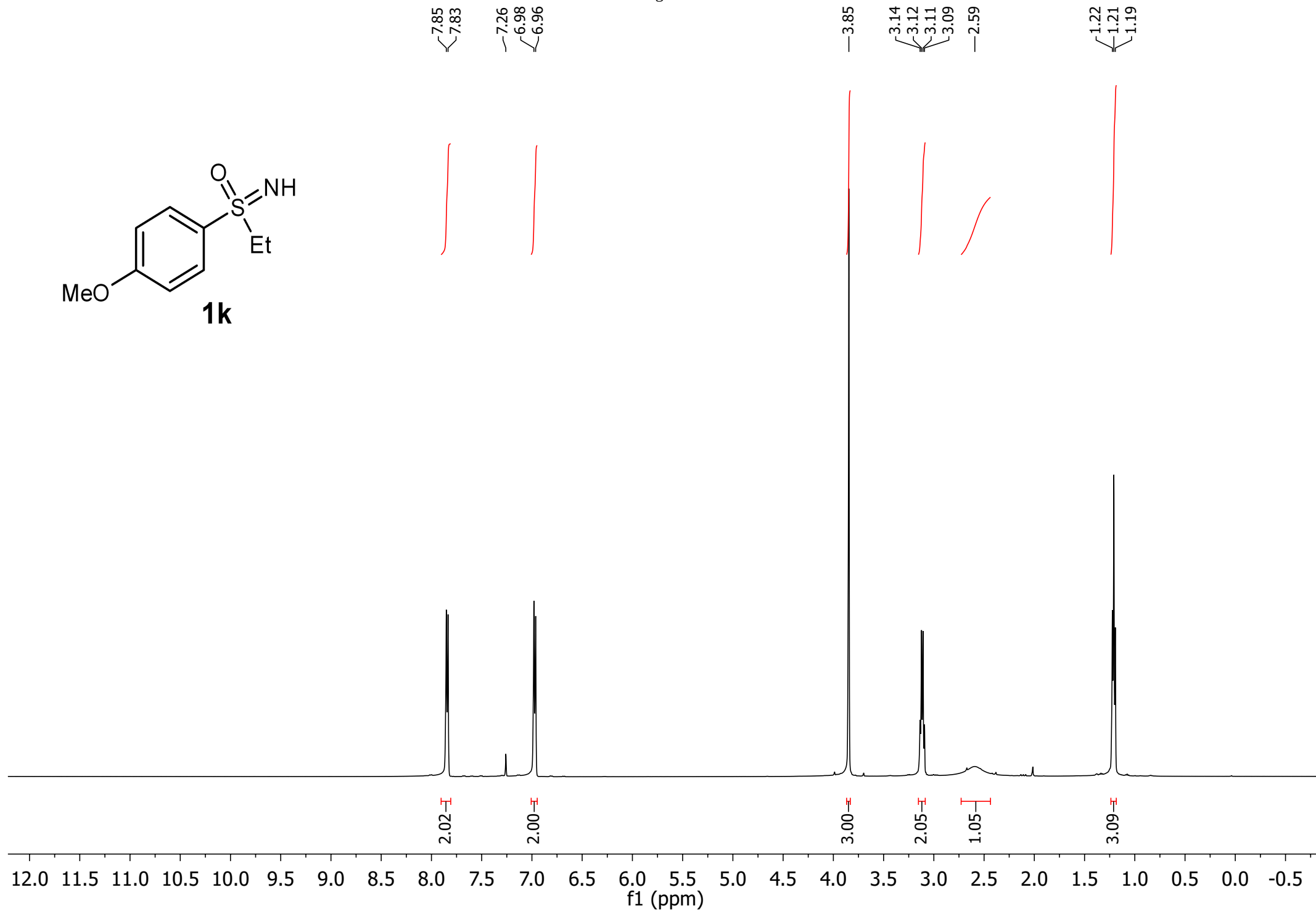
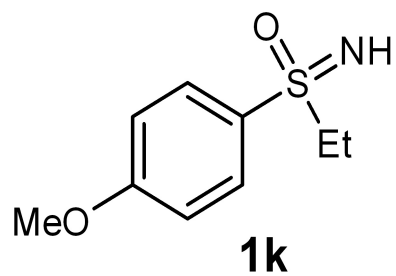
**1i**150.68
149.59129.31
124.6477.48
77.23
76.98

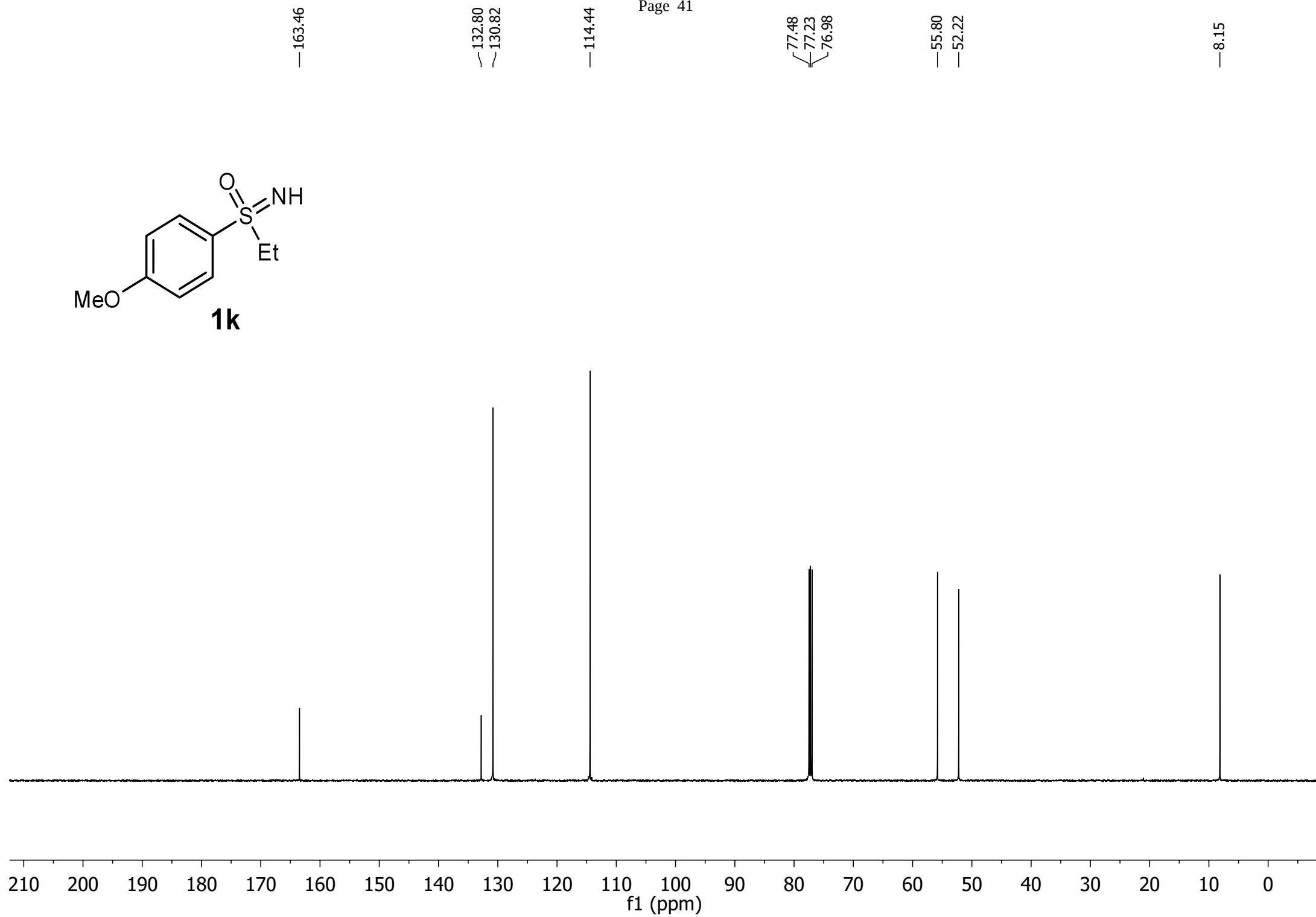
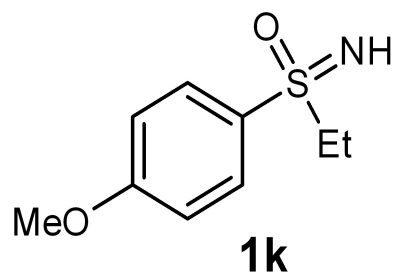
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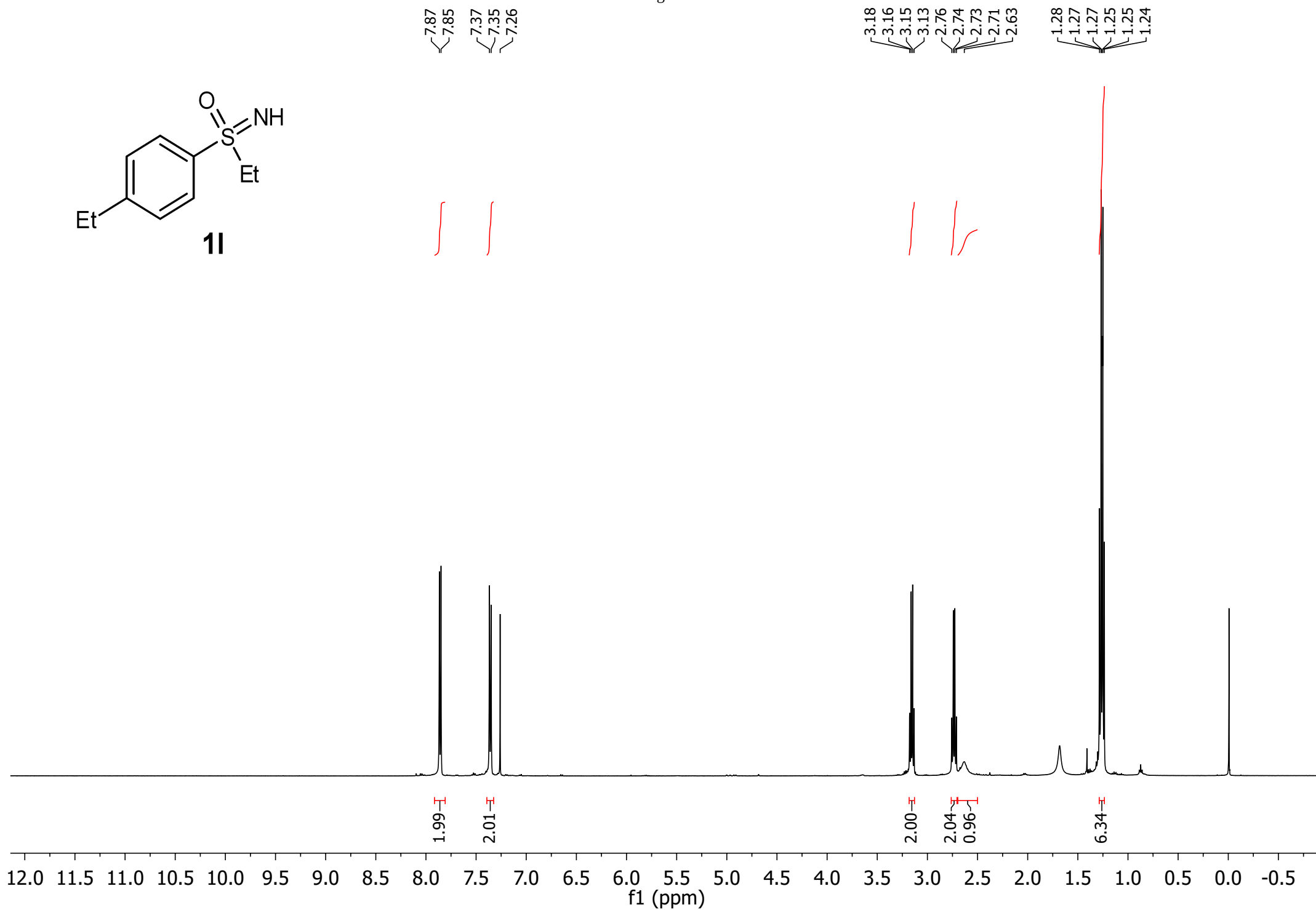
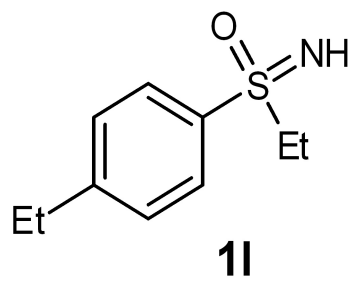


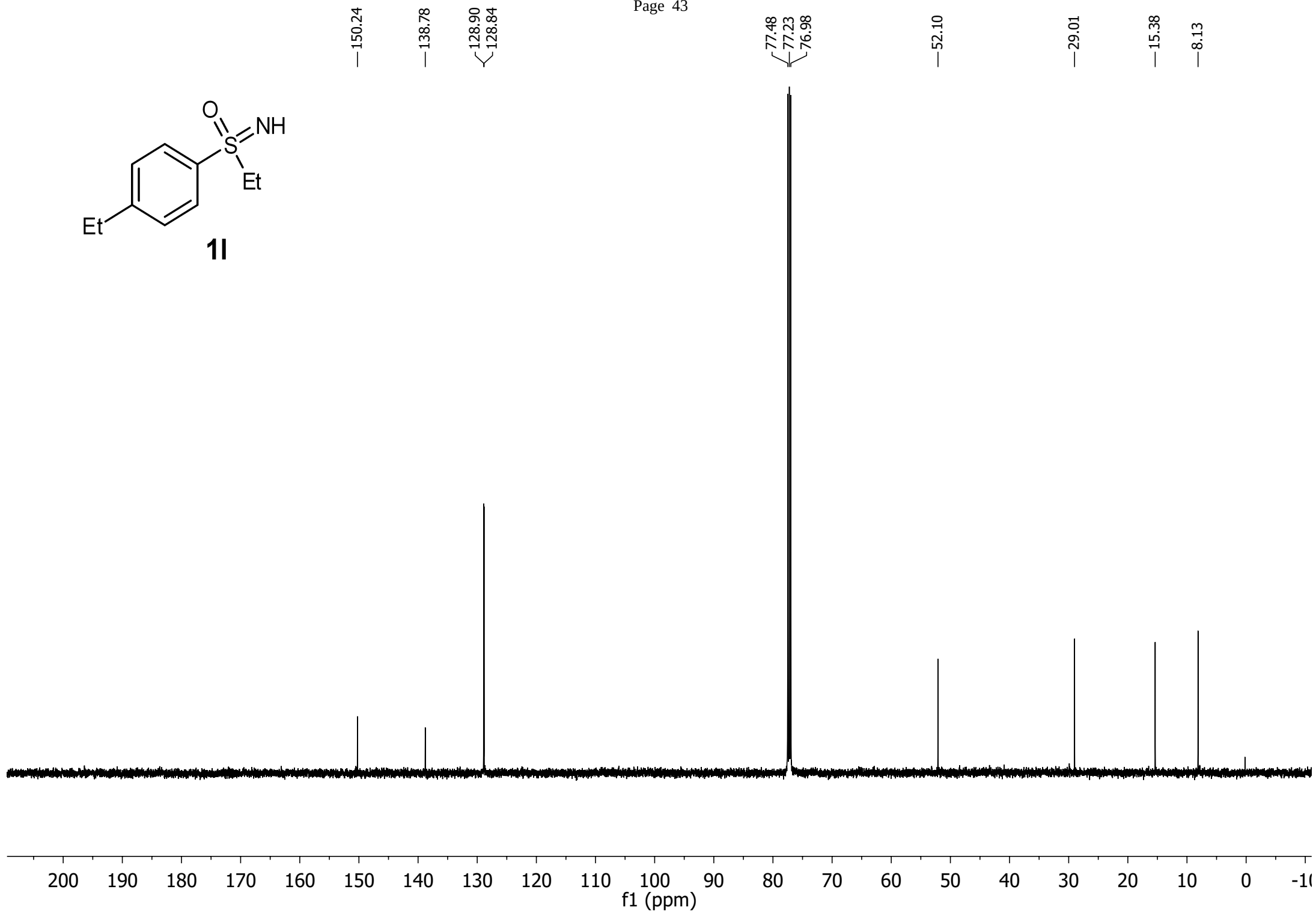
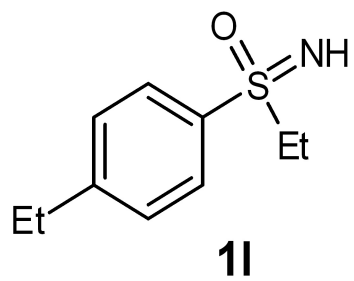


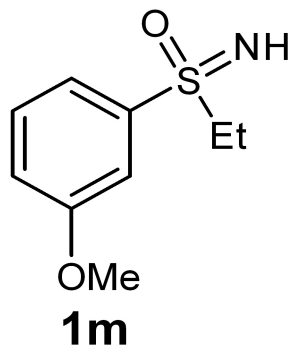








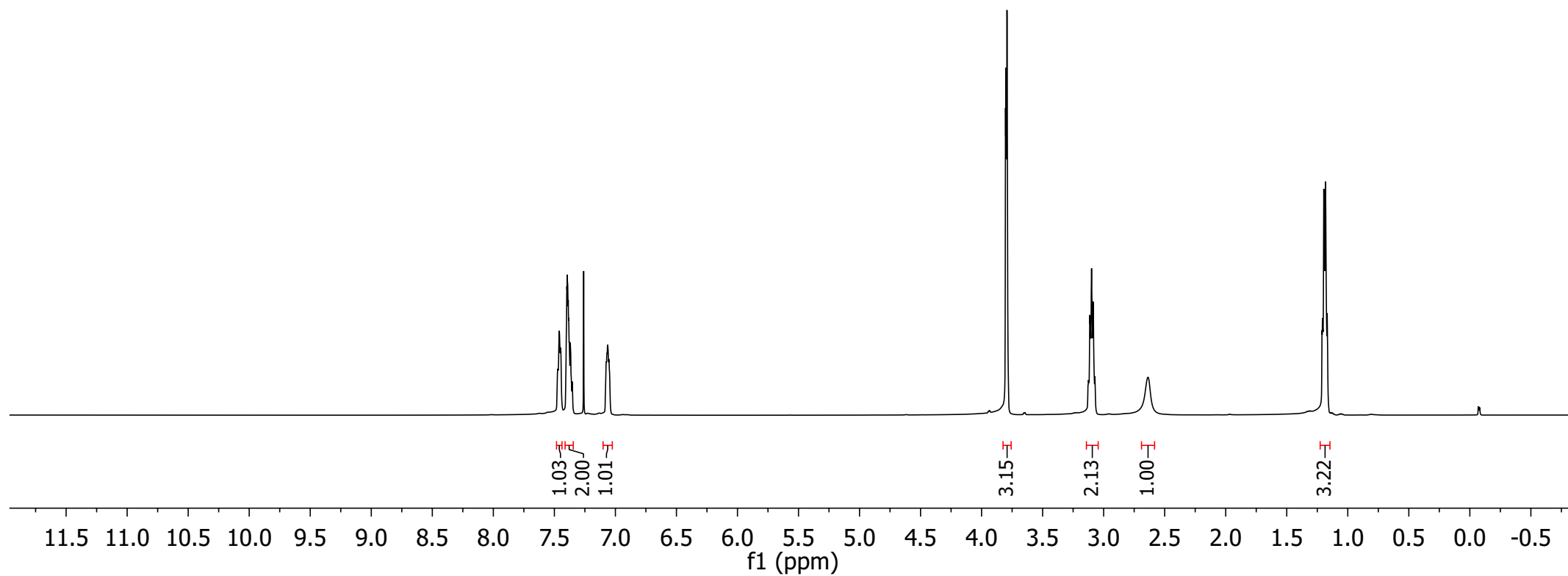


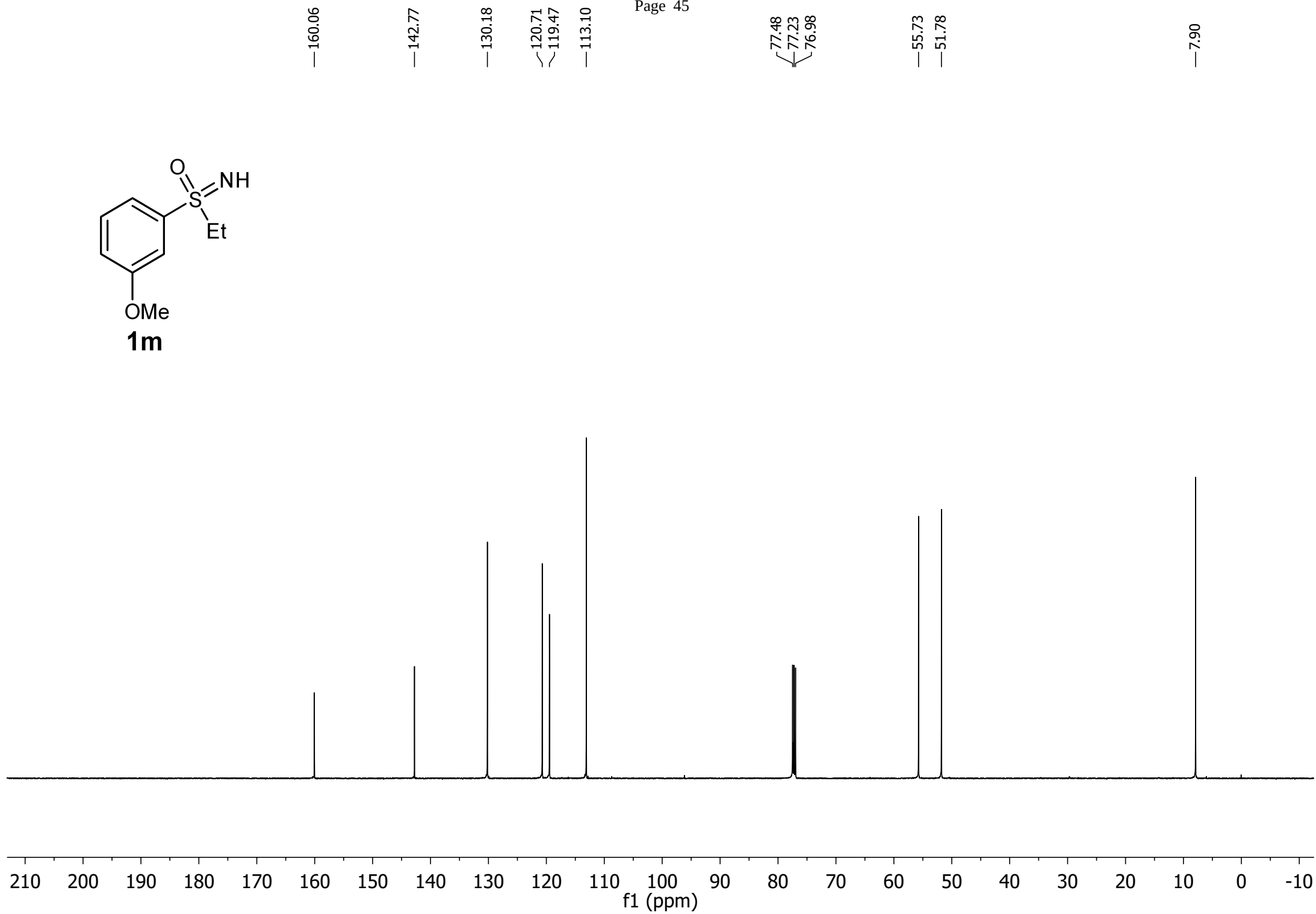
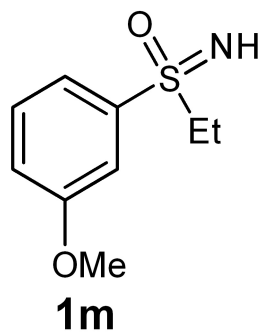


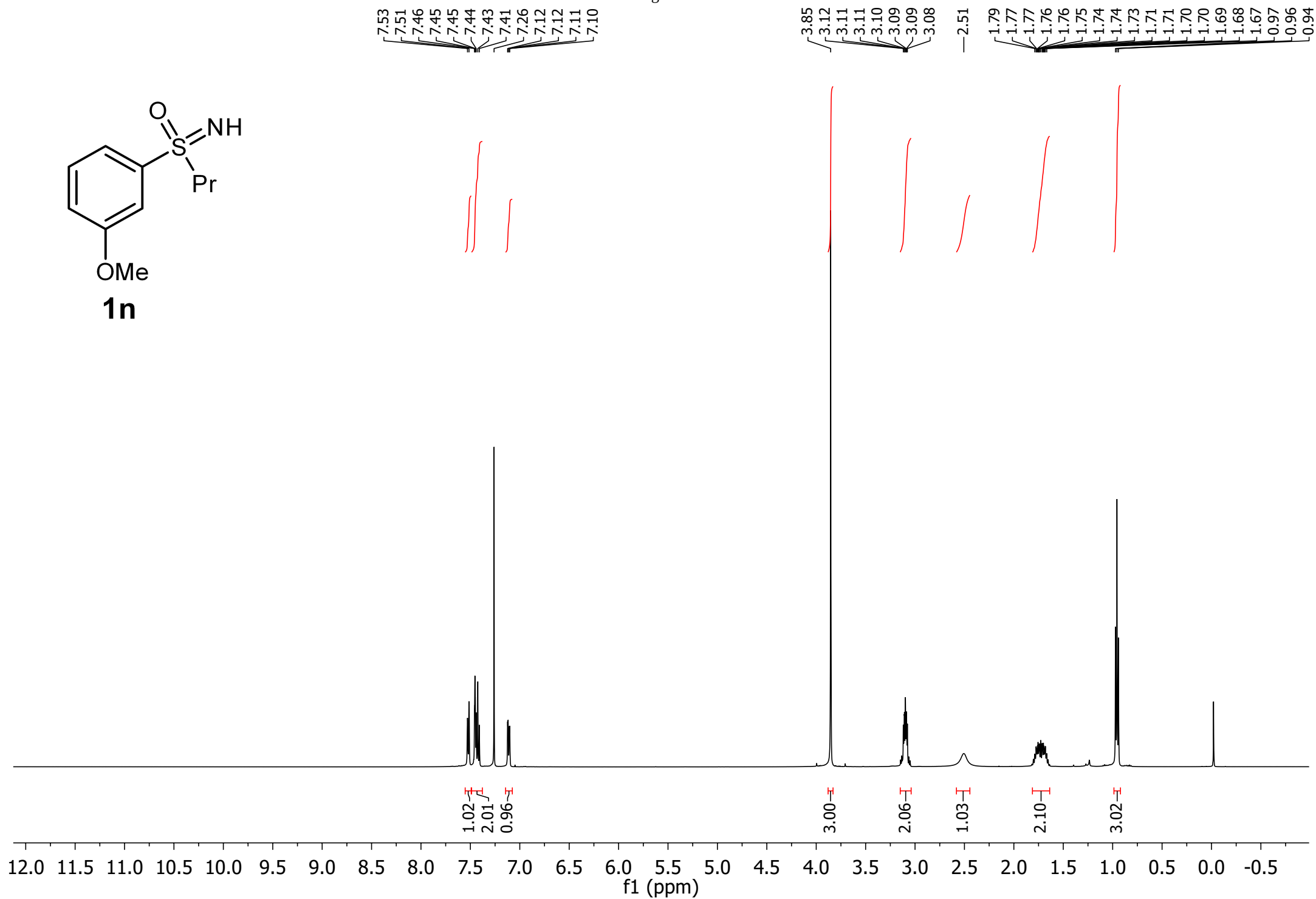
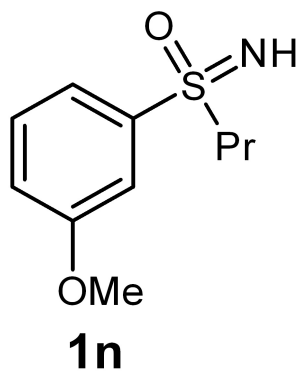
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7.39
7.39
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7.26
7.07
7.07
7.07
7.06
7.05
7.05

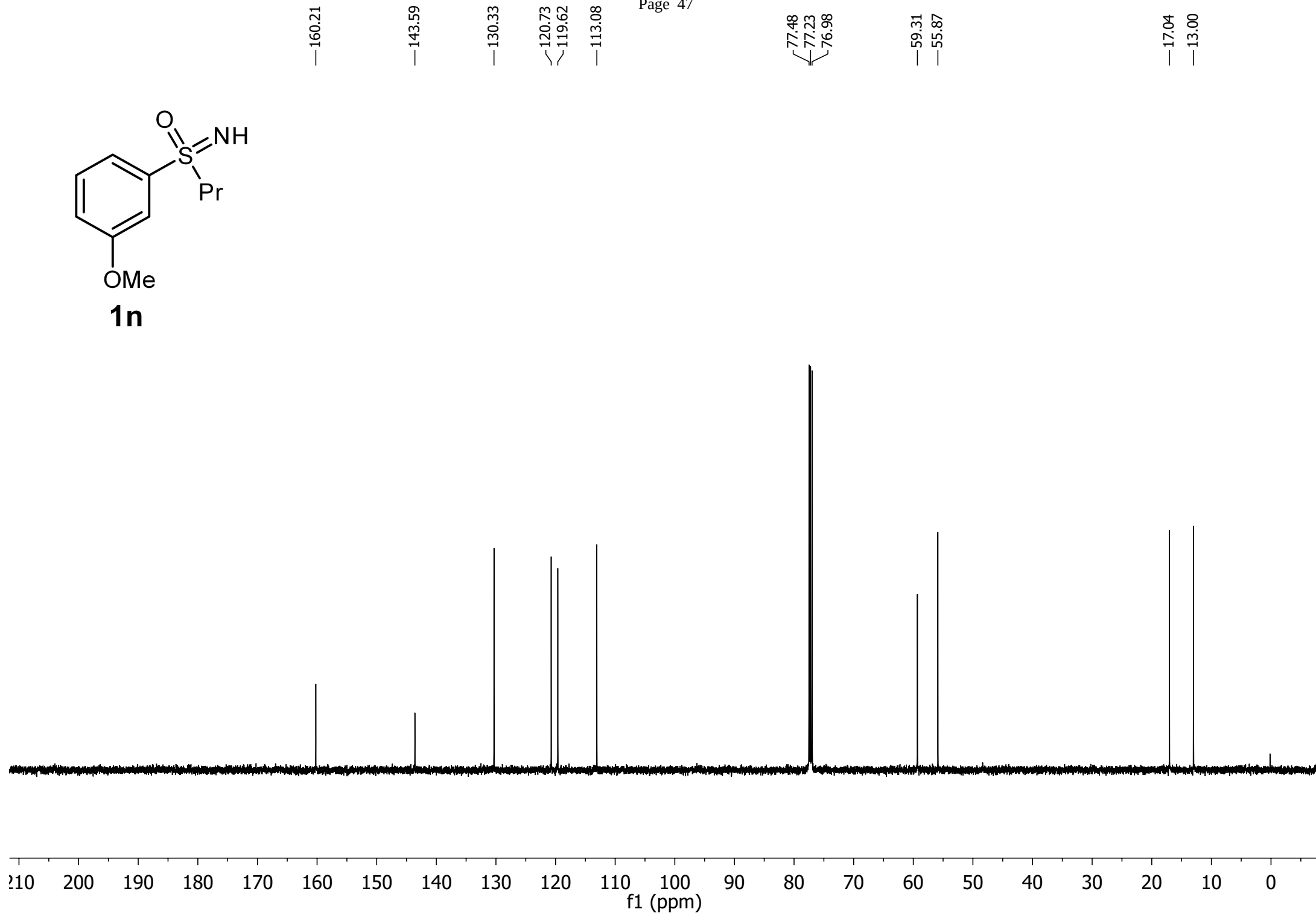
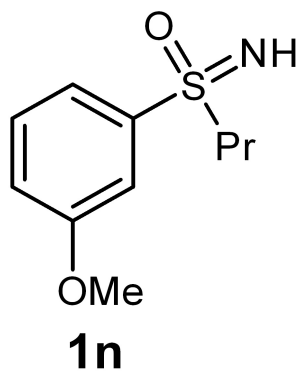
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2.64

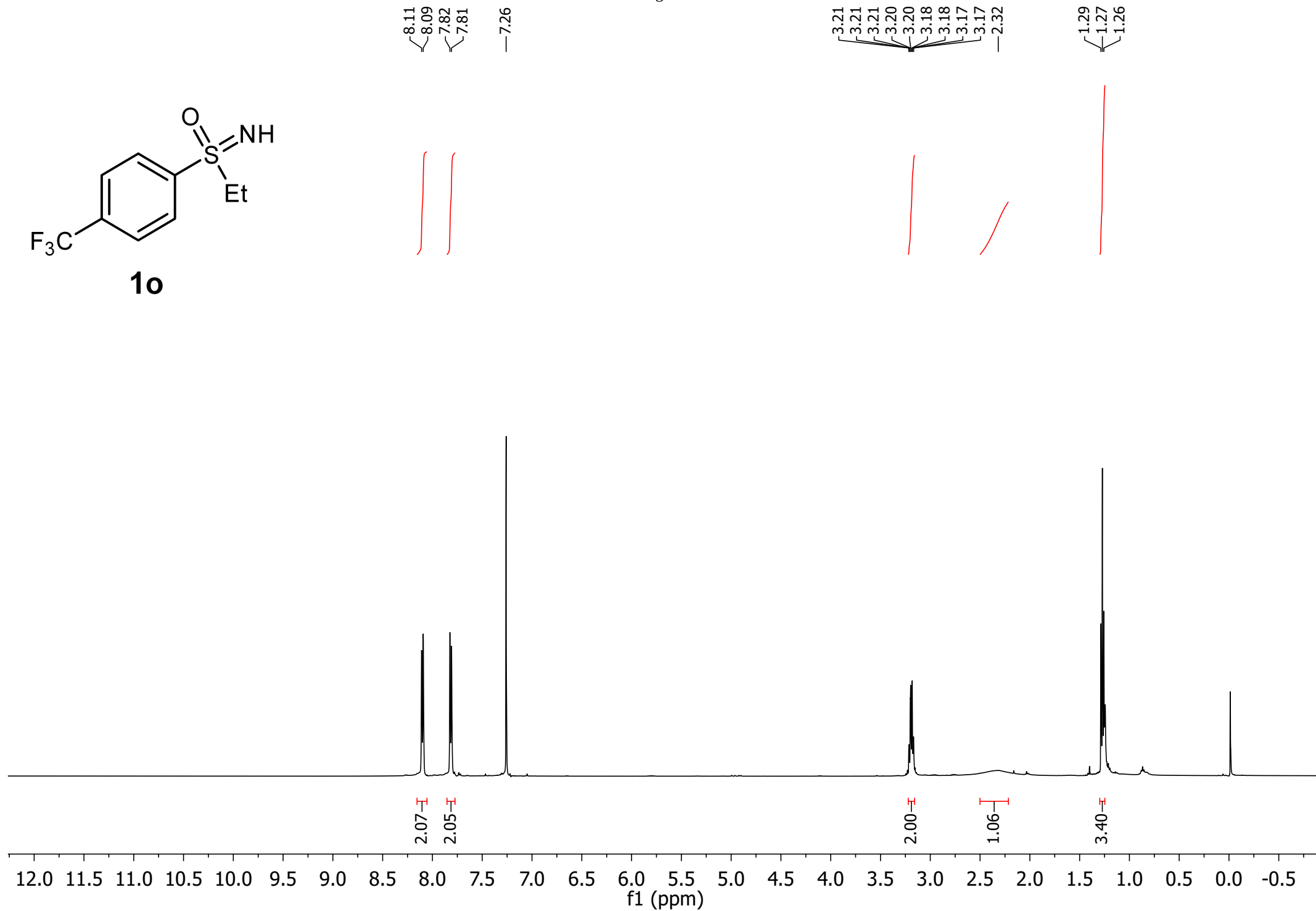
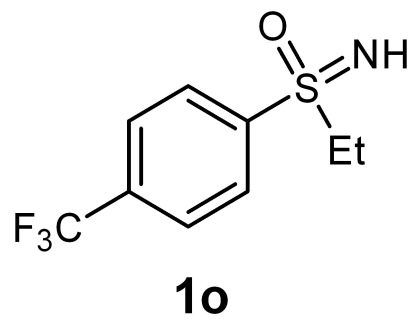
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1.21
1.20
1.19
1.18
1.17

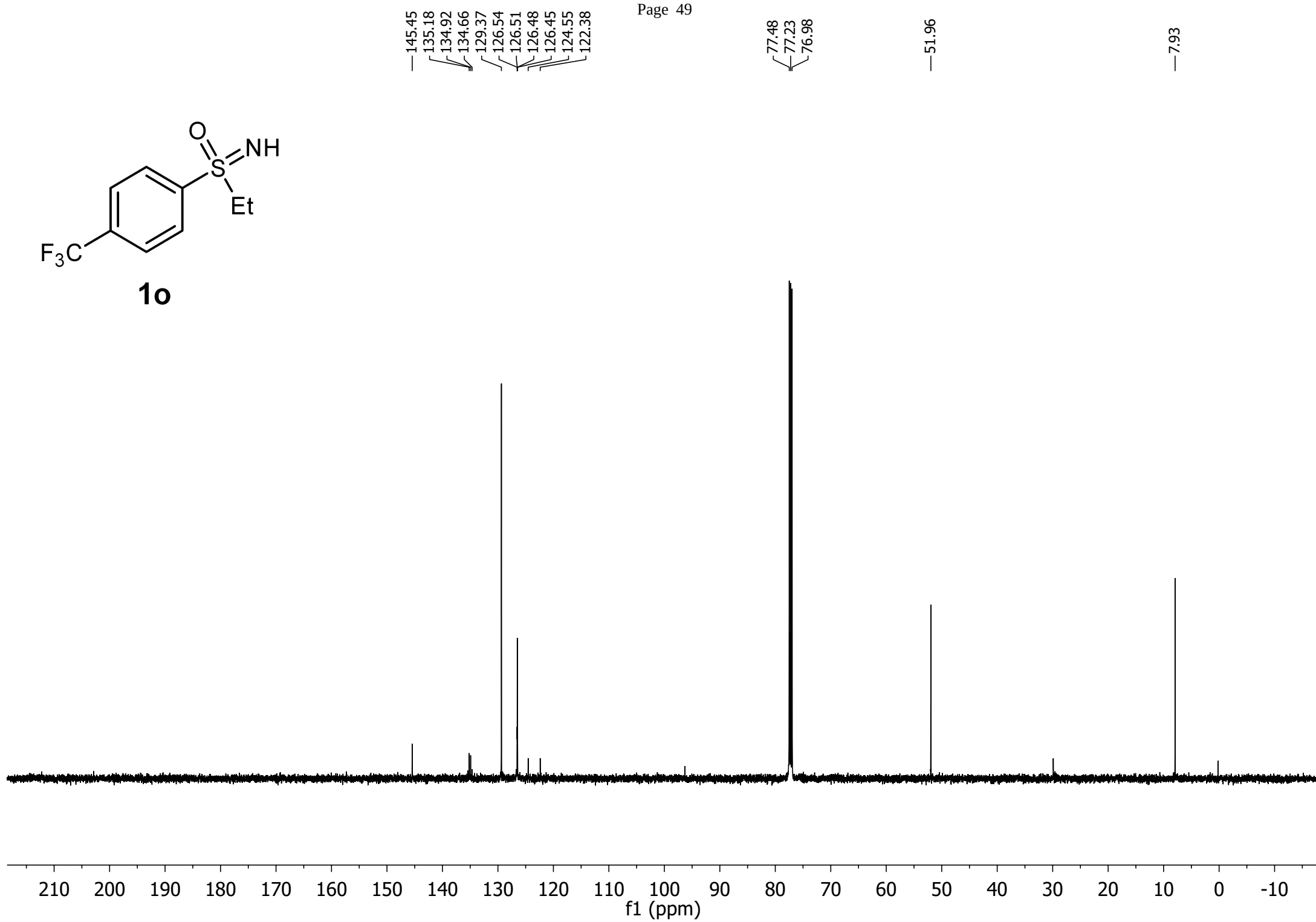
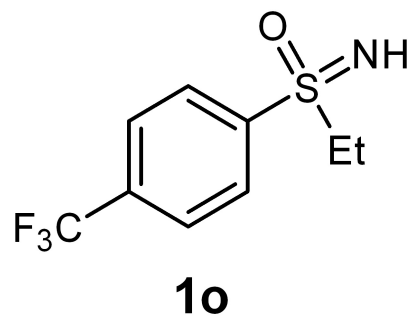


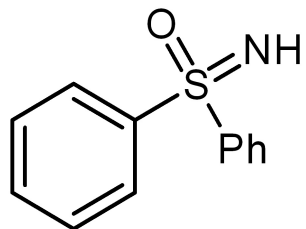
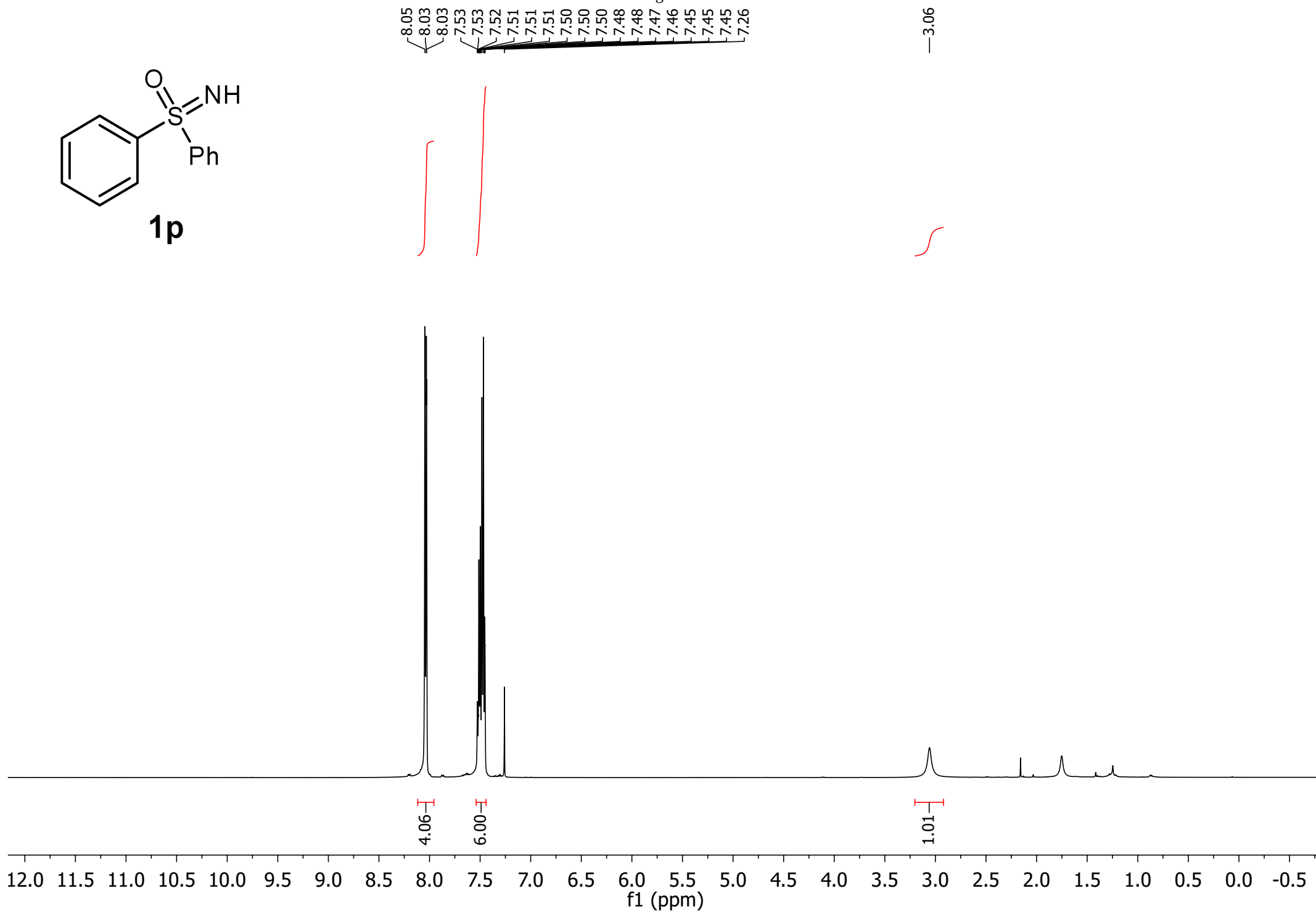


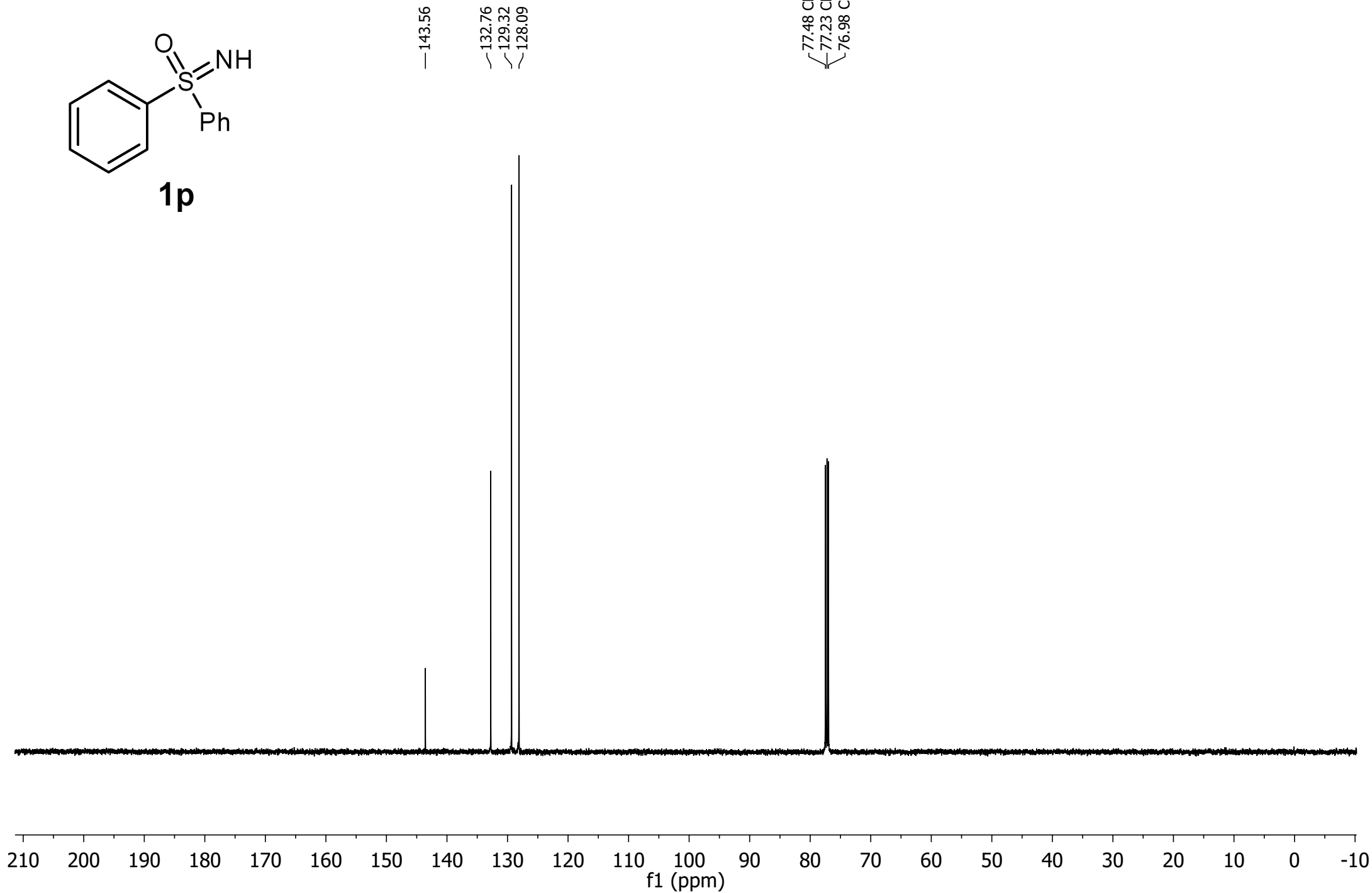
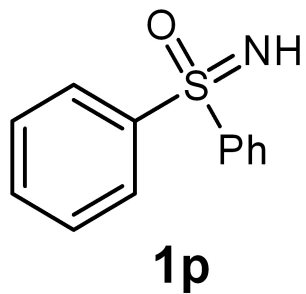


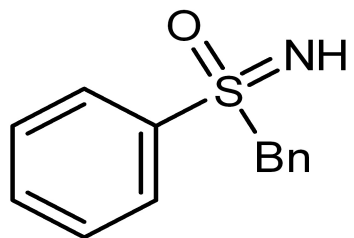
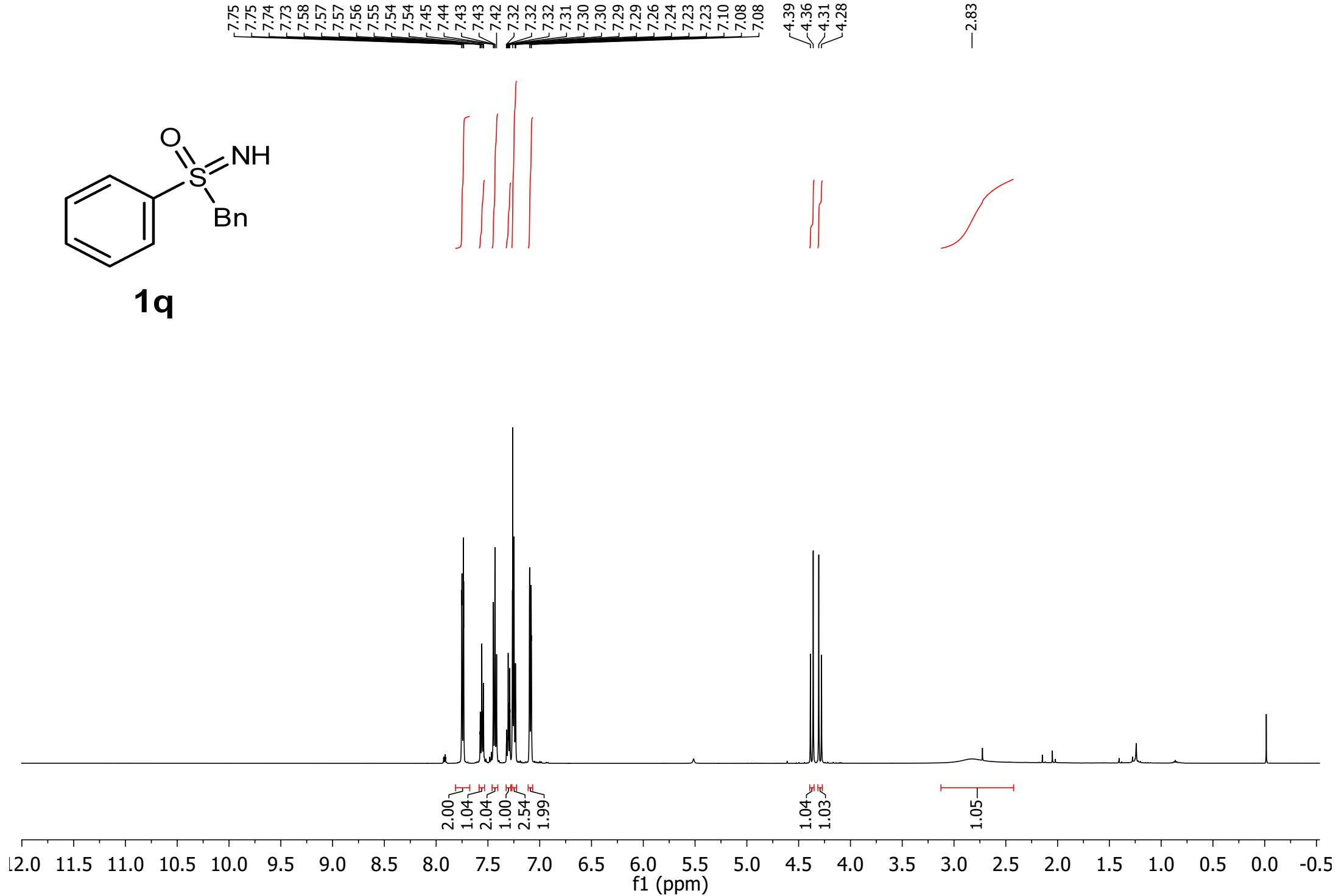


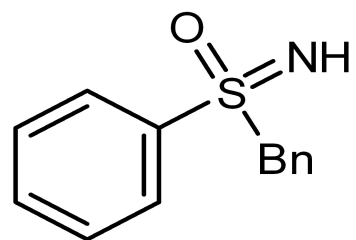
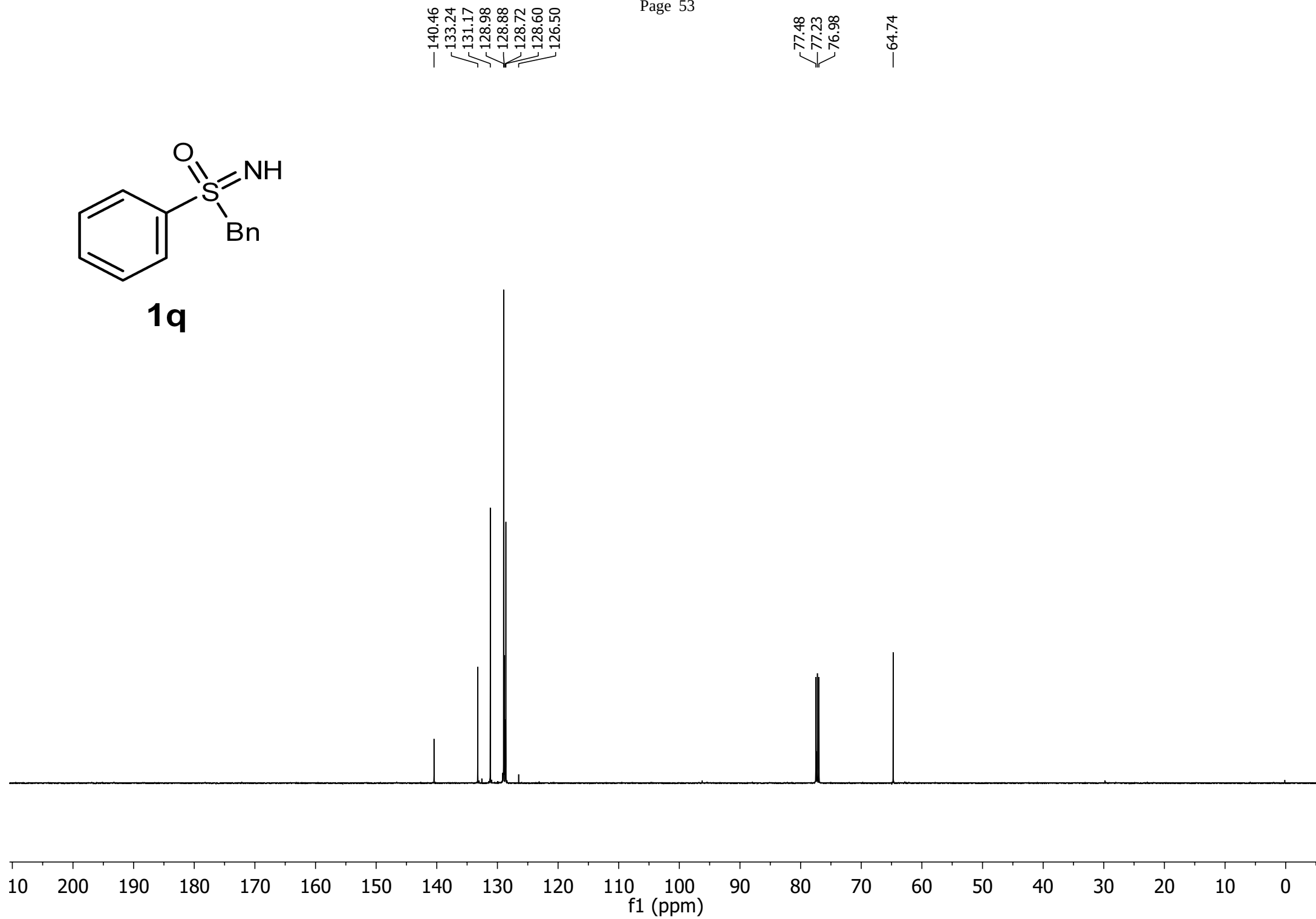


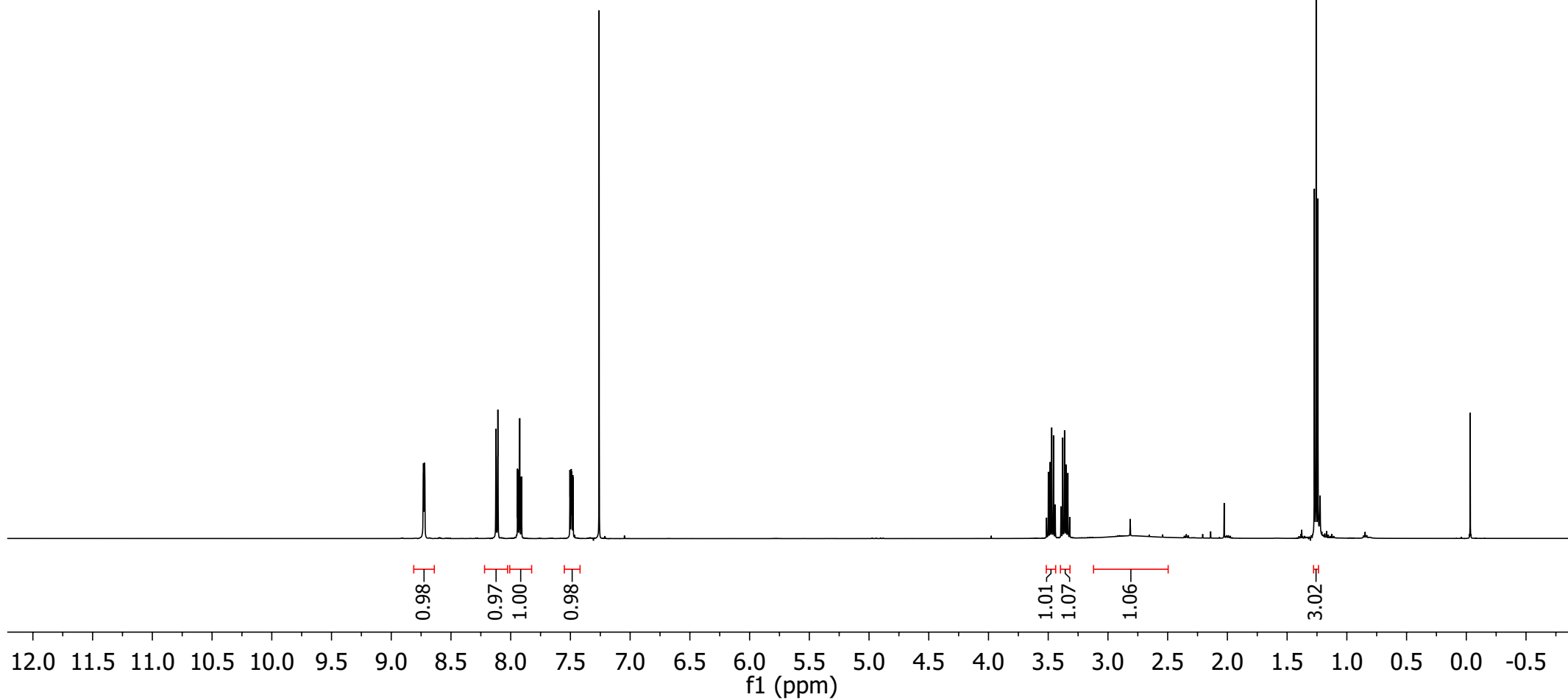
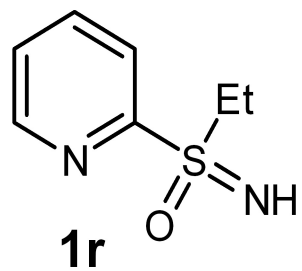


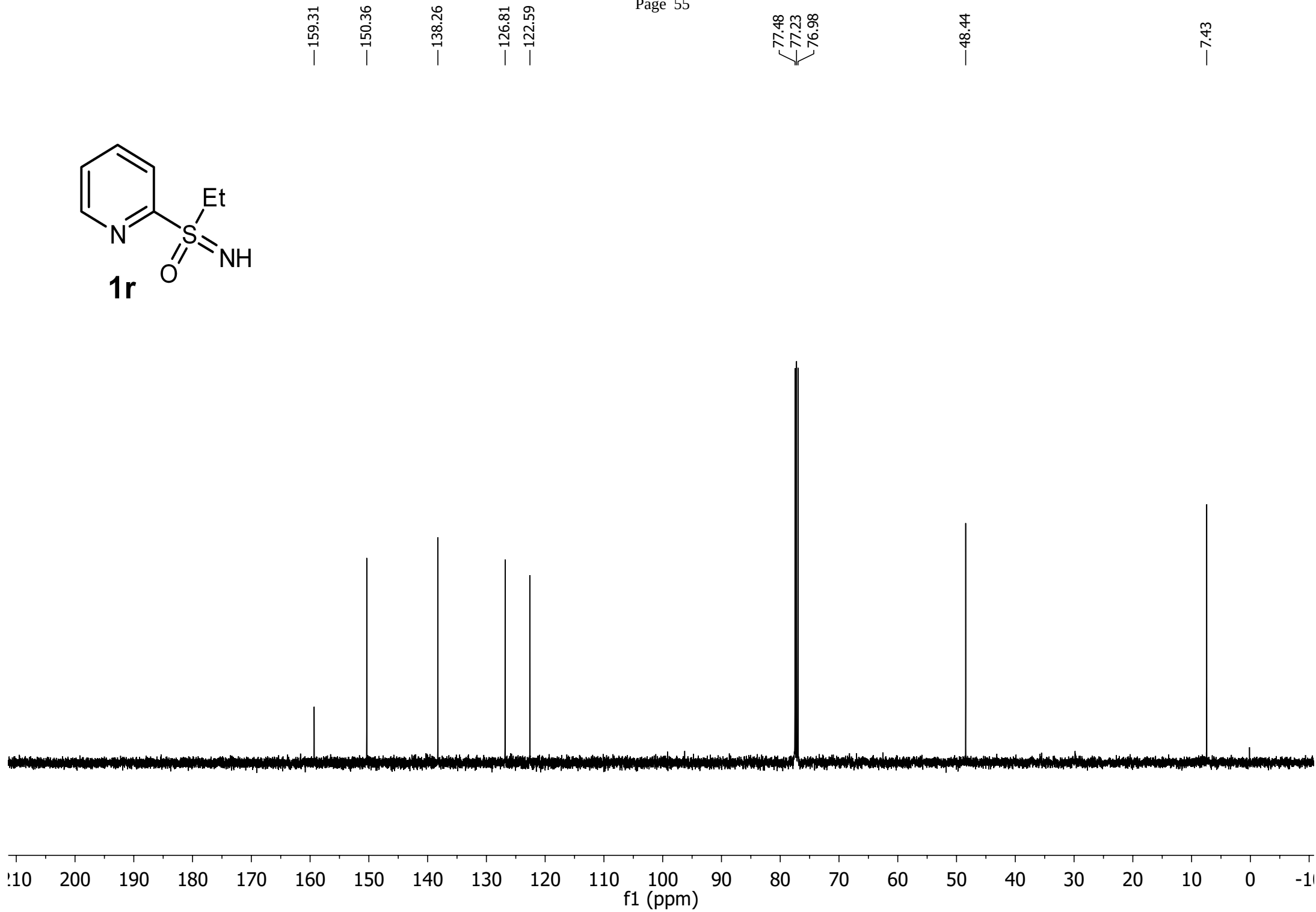
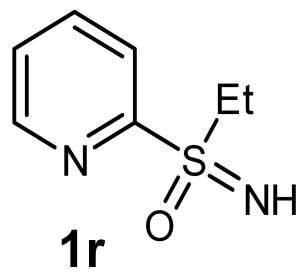
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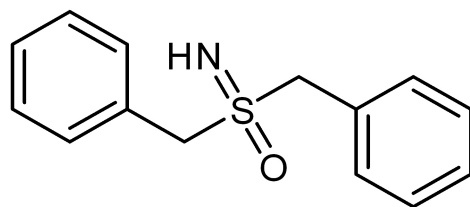
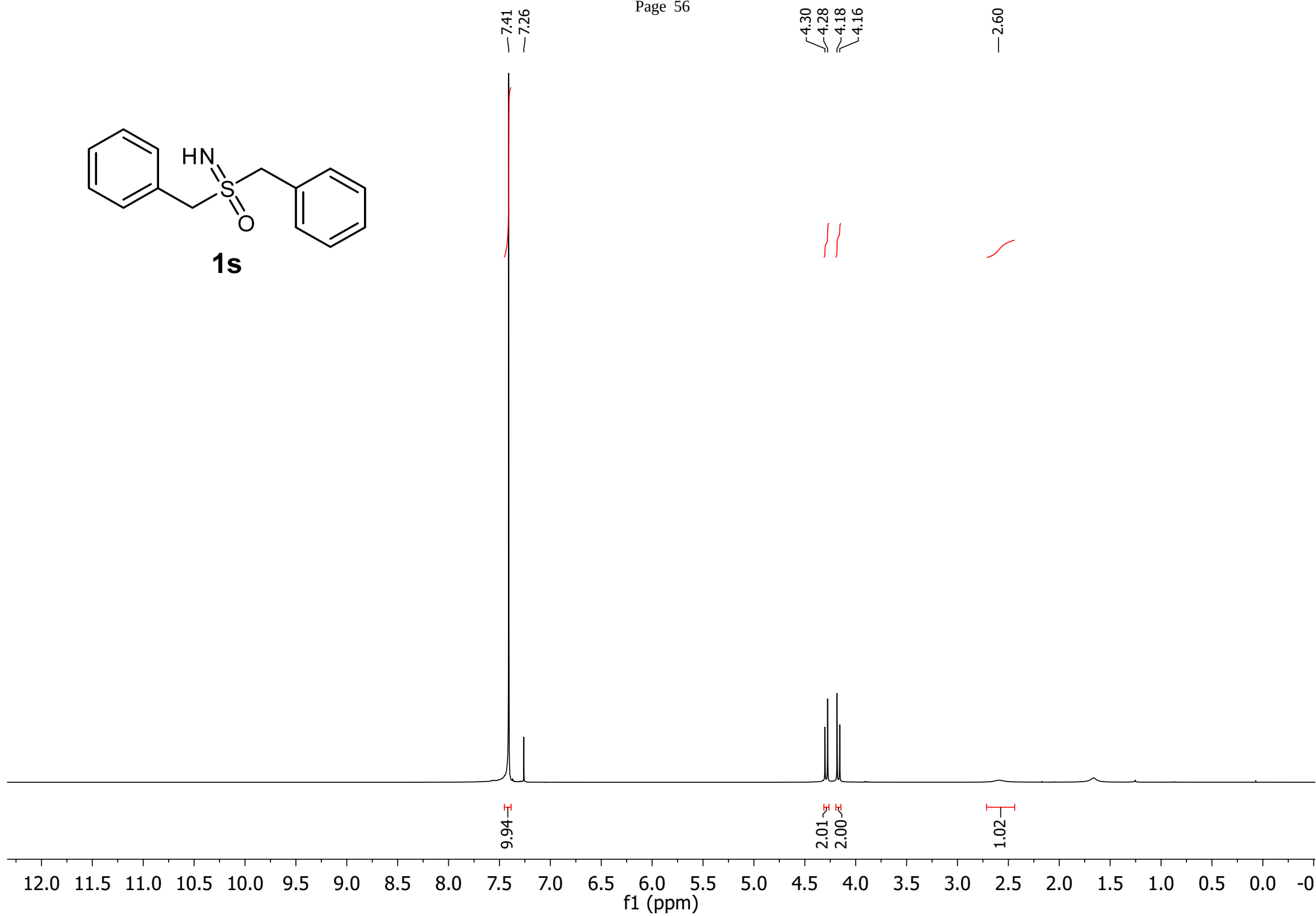


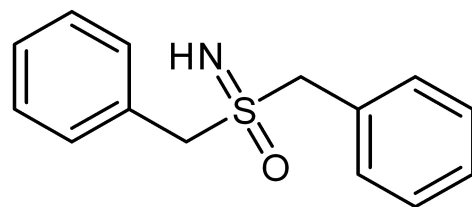
**1q**

**1q**





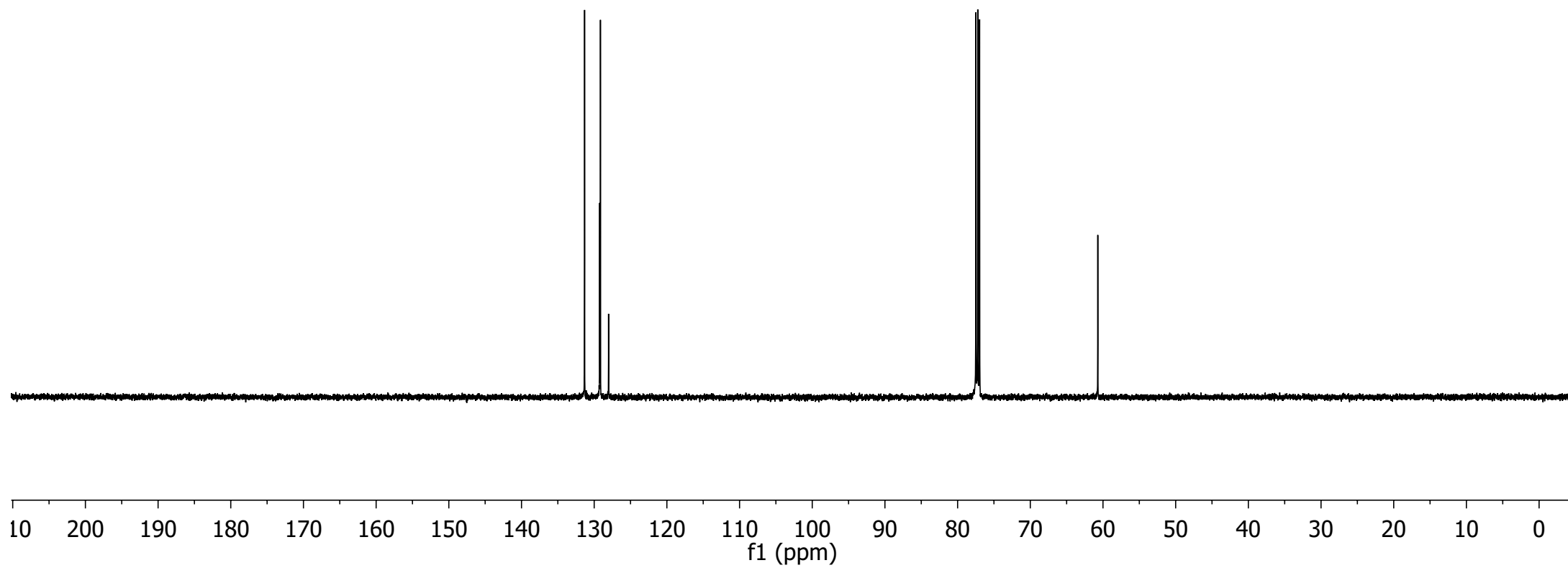
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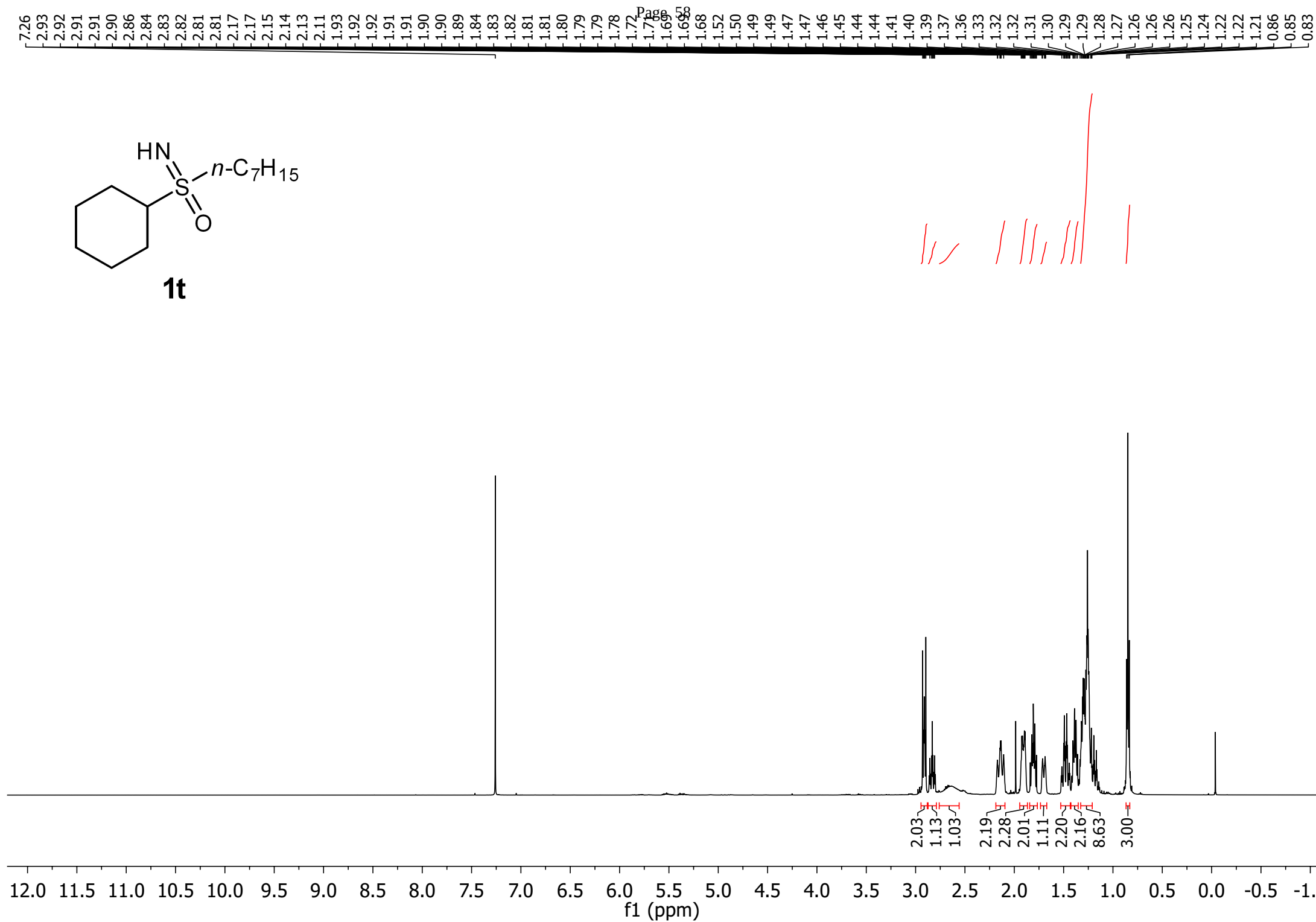
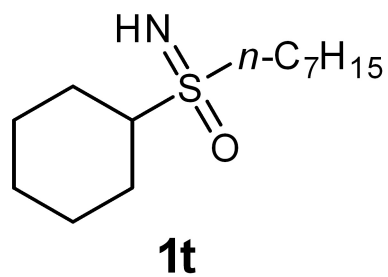
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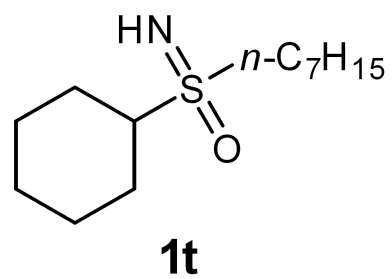
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129.14
128.02

77.48
77.23
76.98

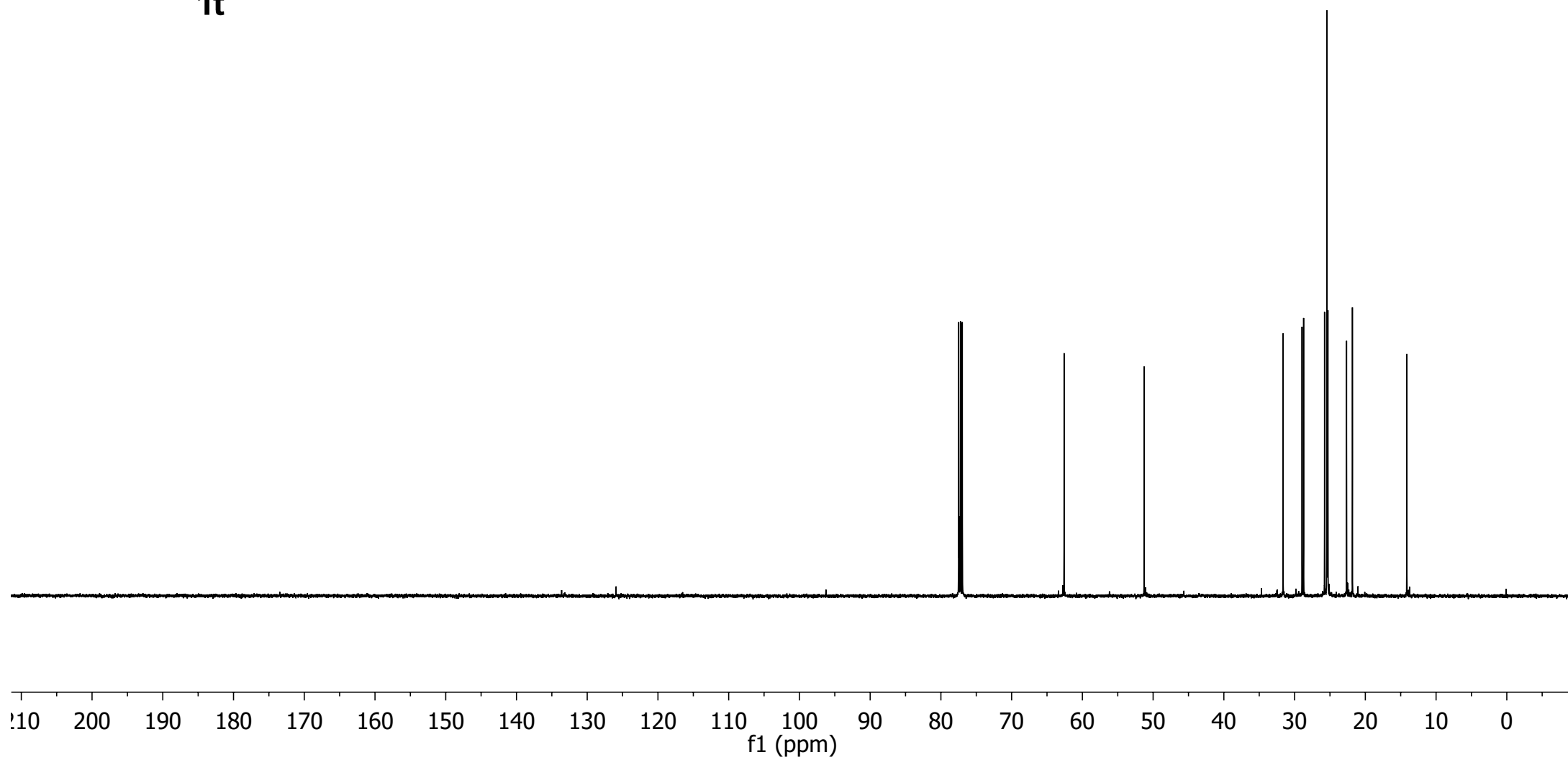
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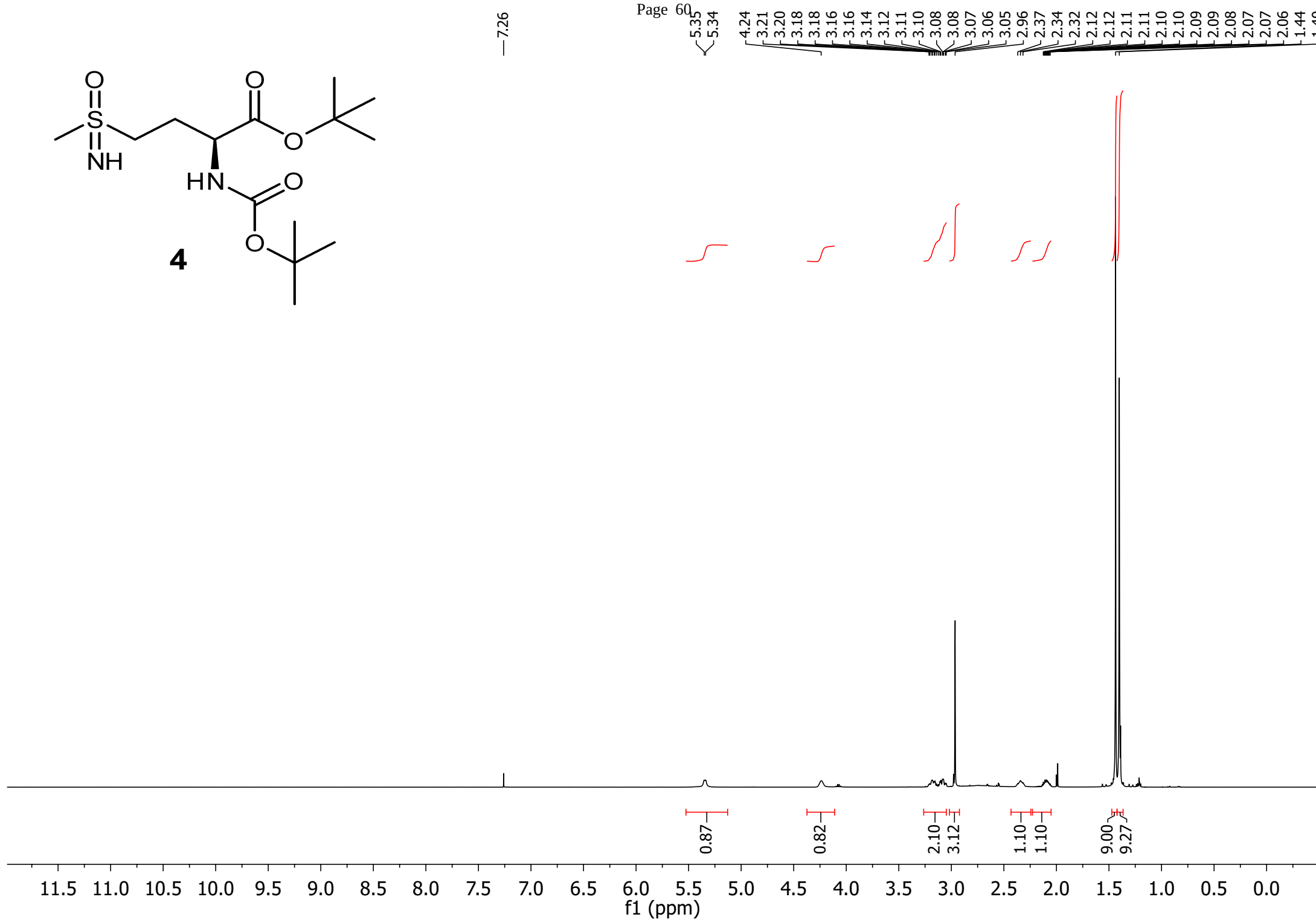
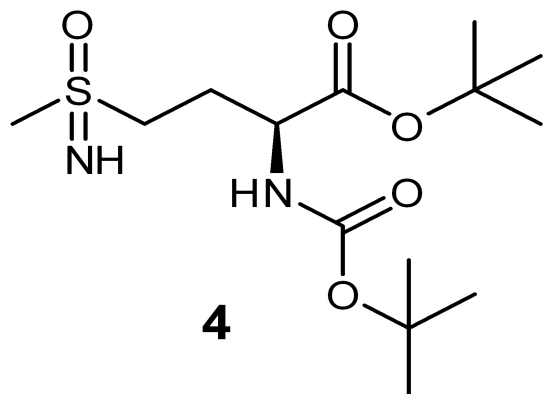


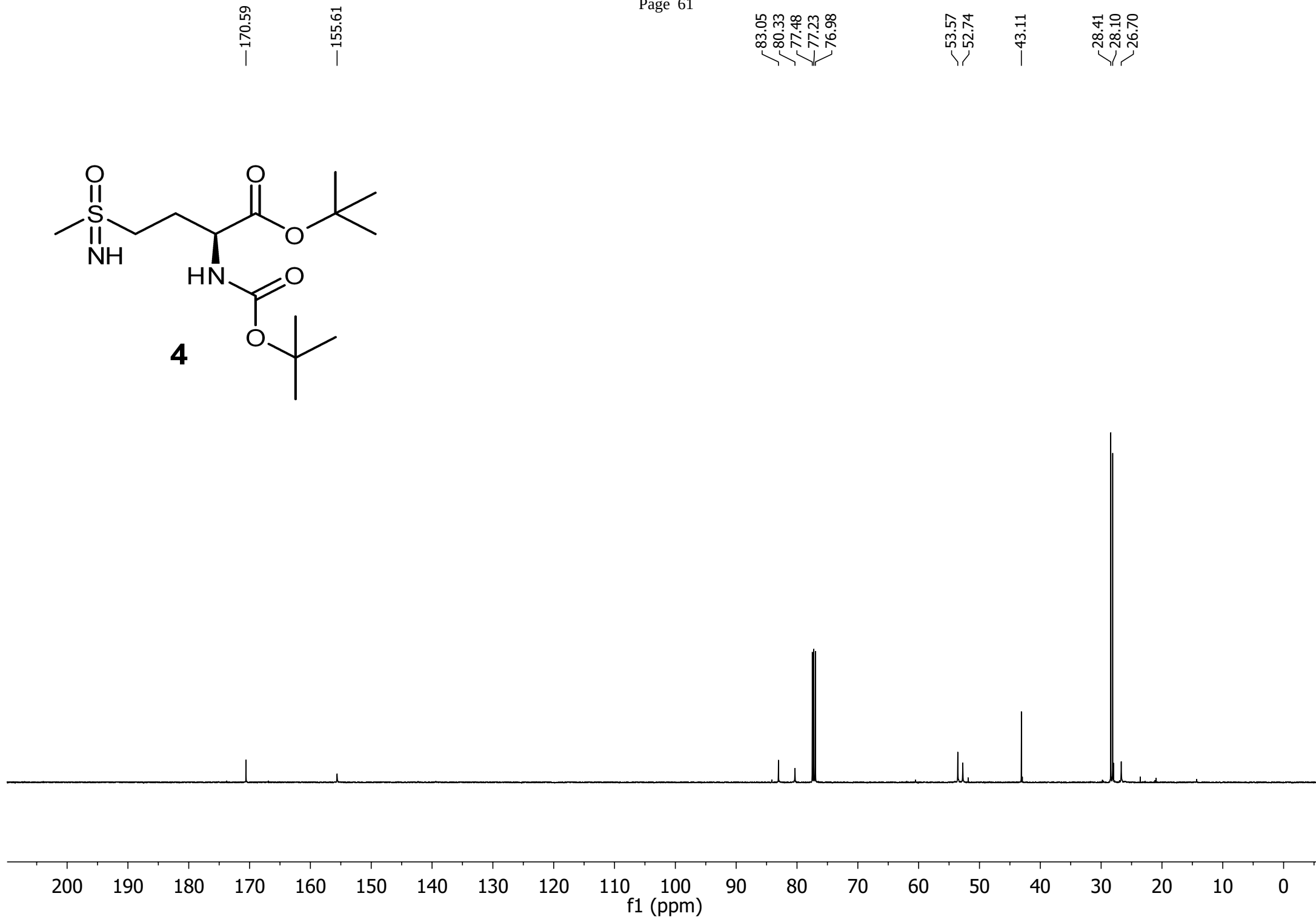
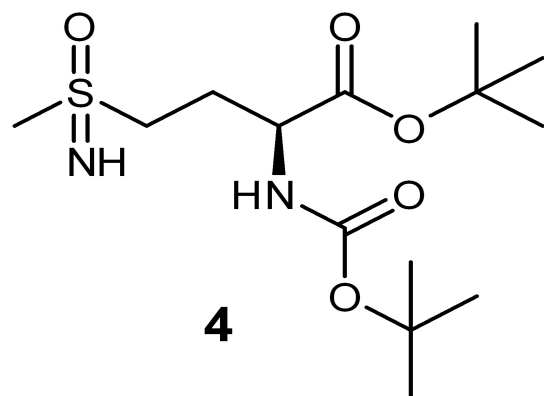


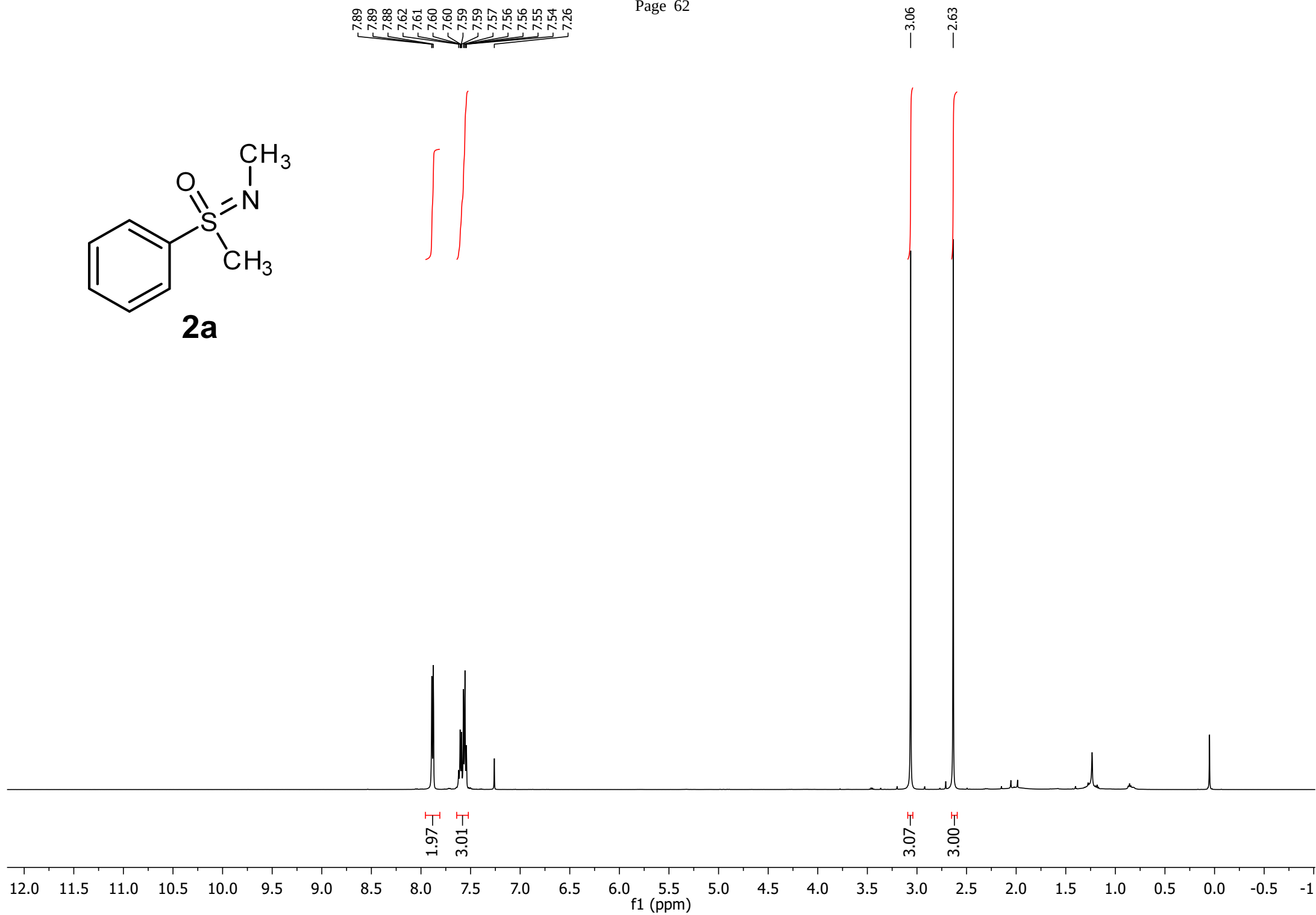
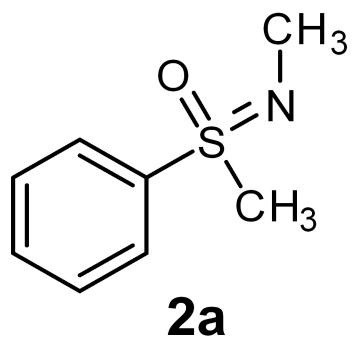


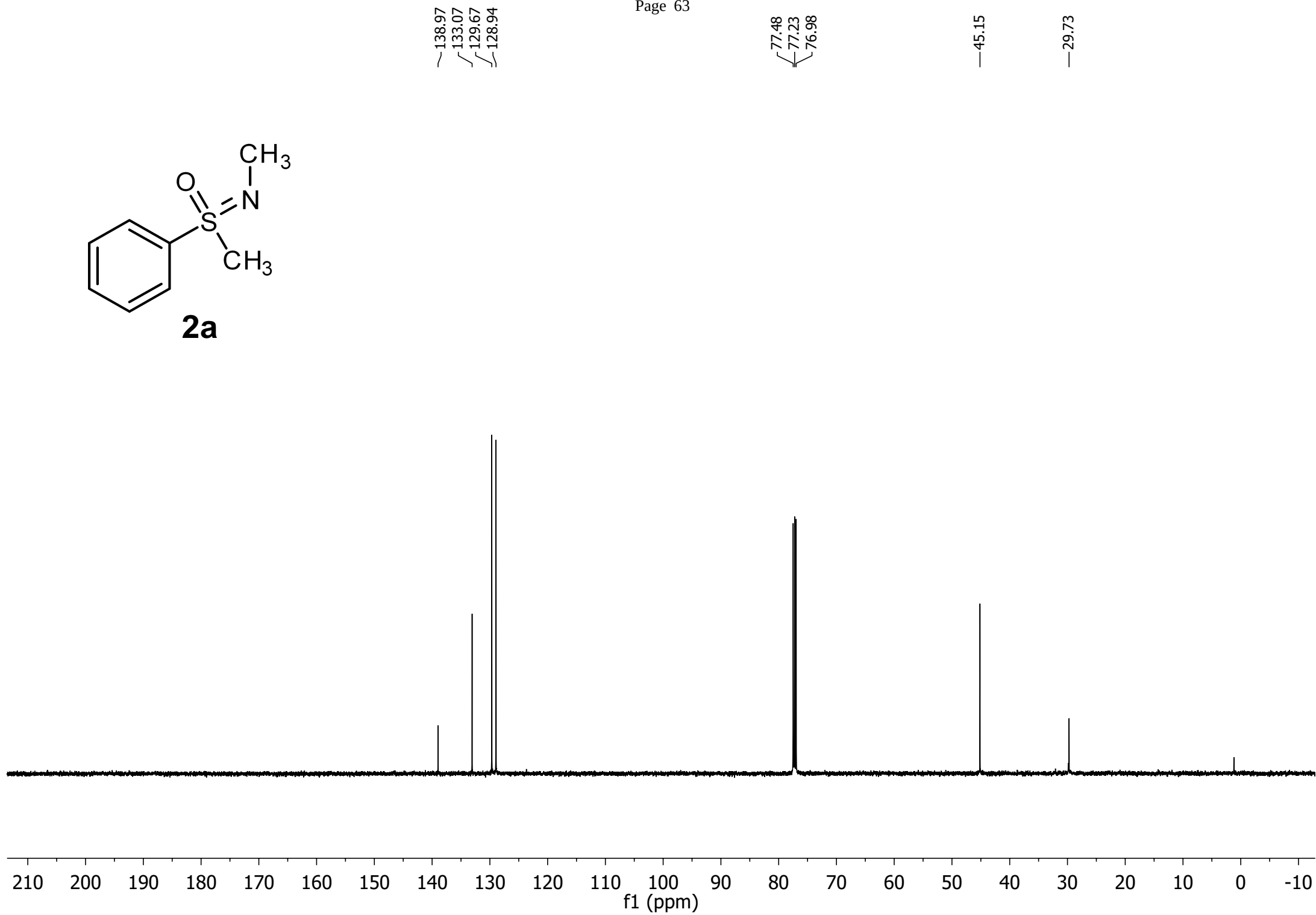
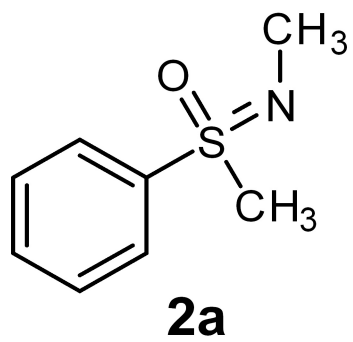
77.48
77.23
76.98
— 62.59
— 51.27
31.63
28.95
28.73
25.76
25.43
25.29
22.65
21.83
— 14.14

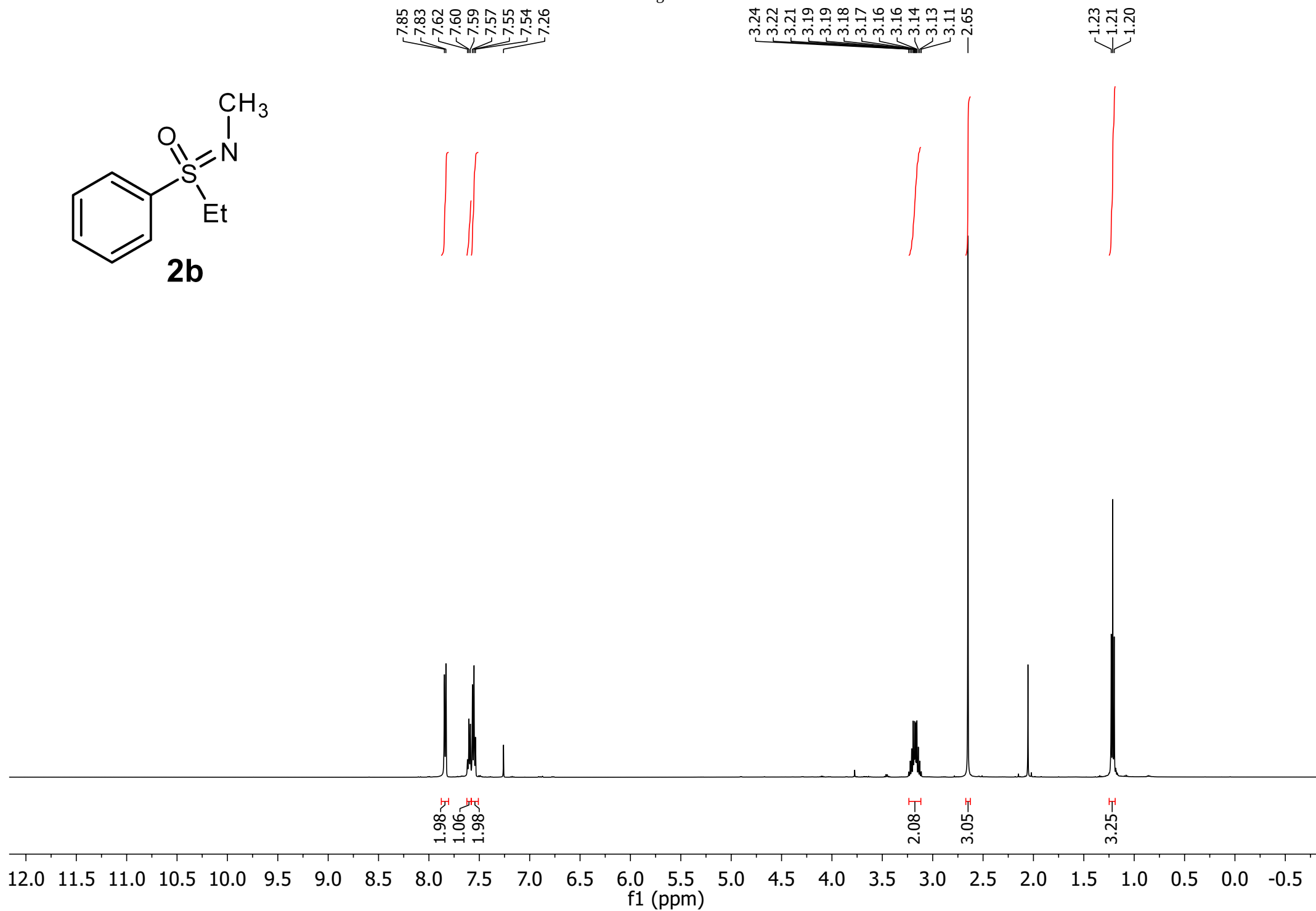
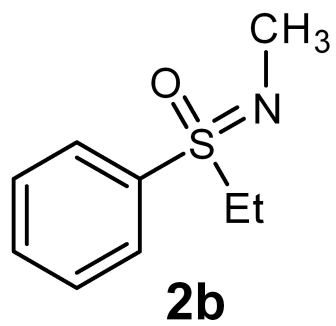


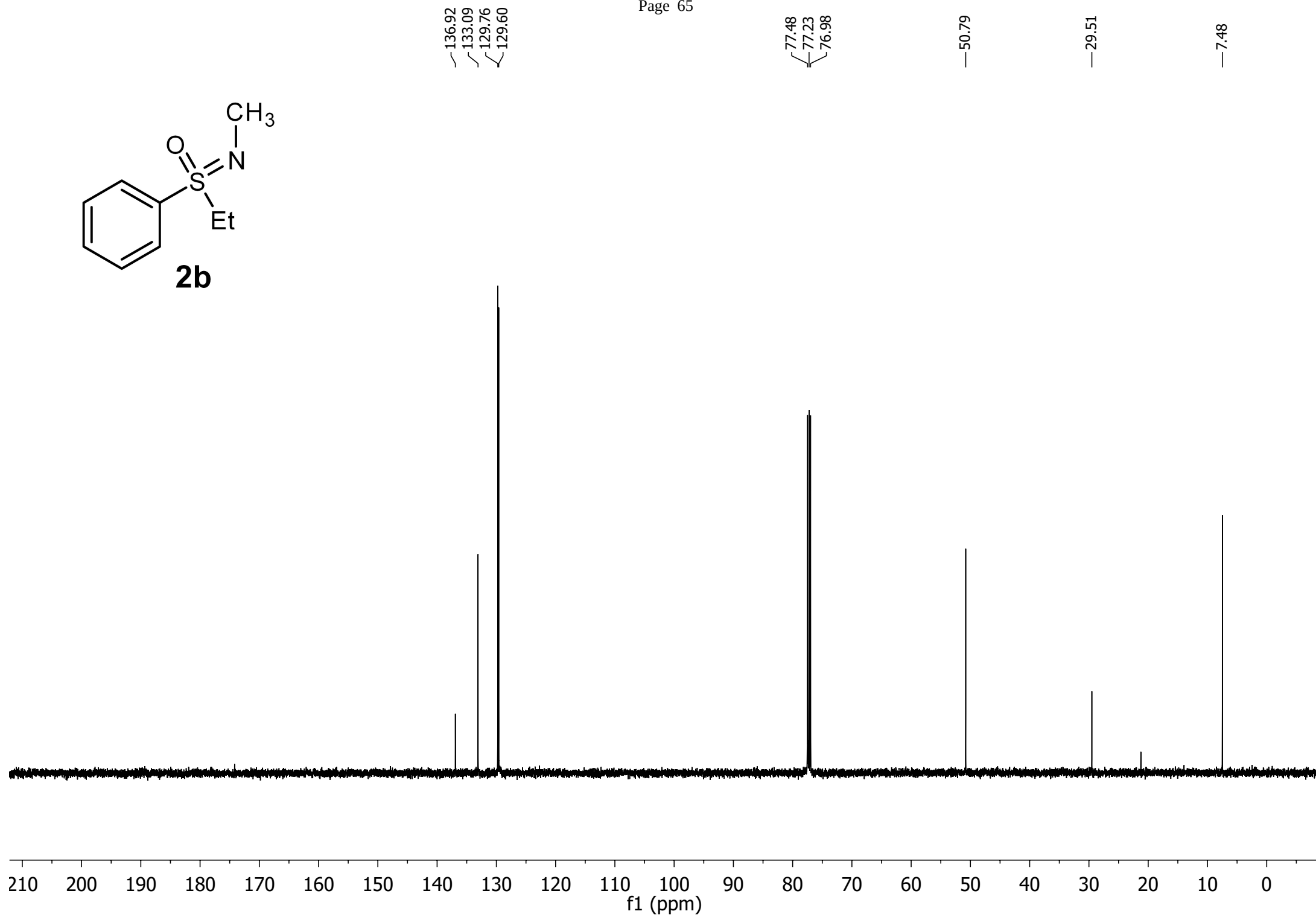
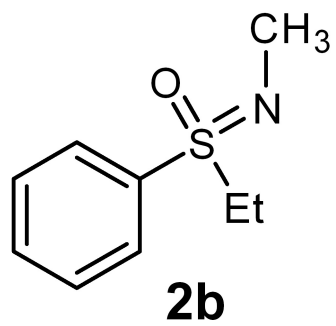


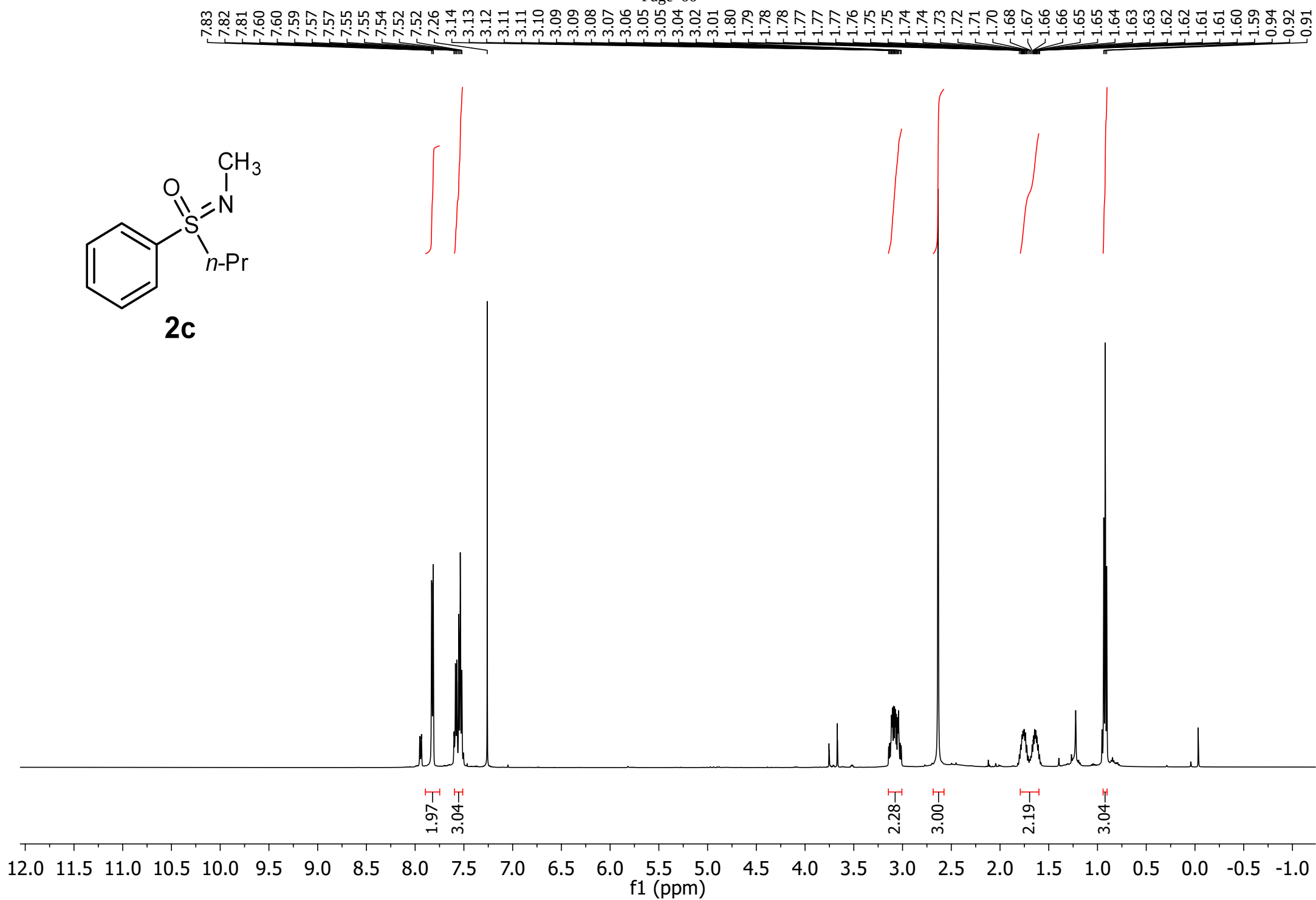
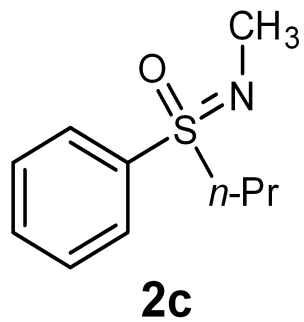


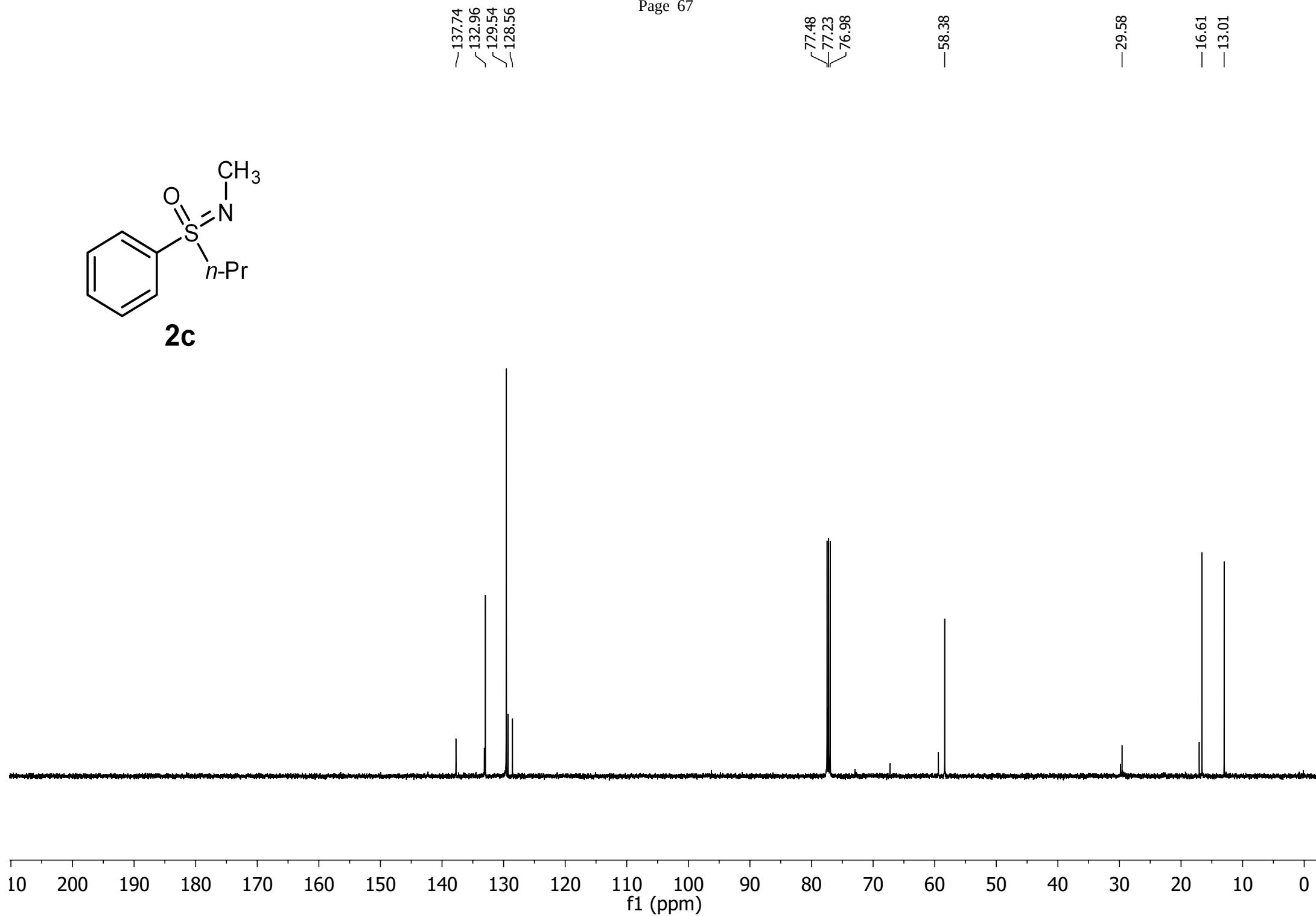
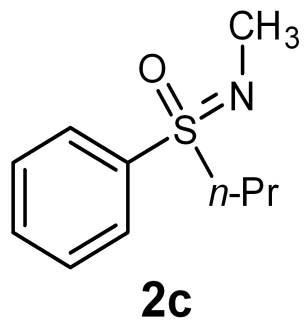


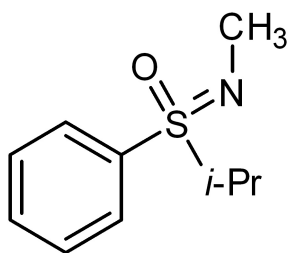
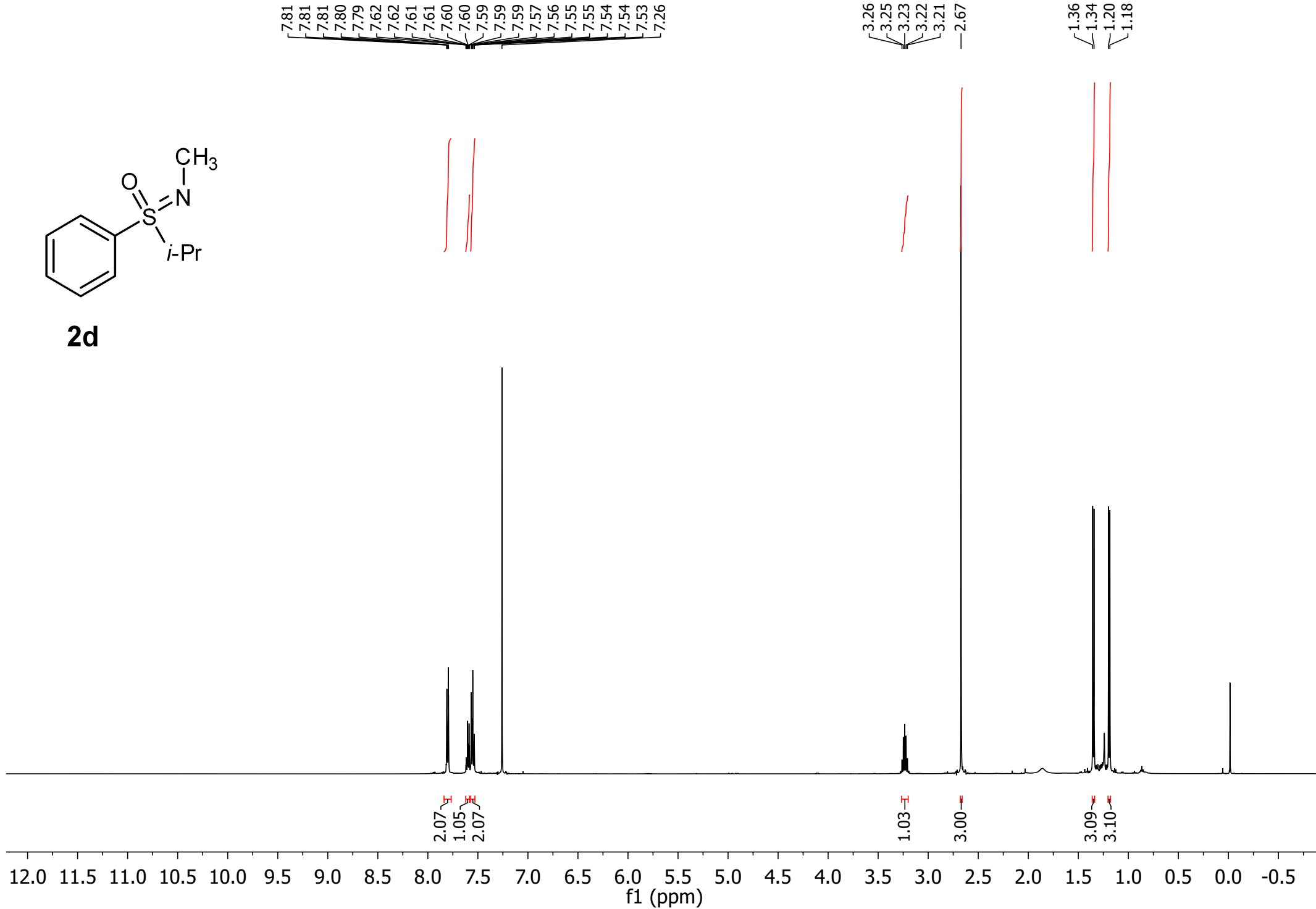


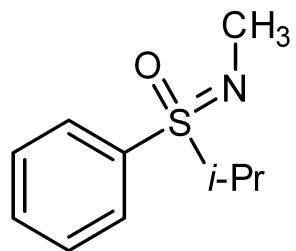
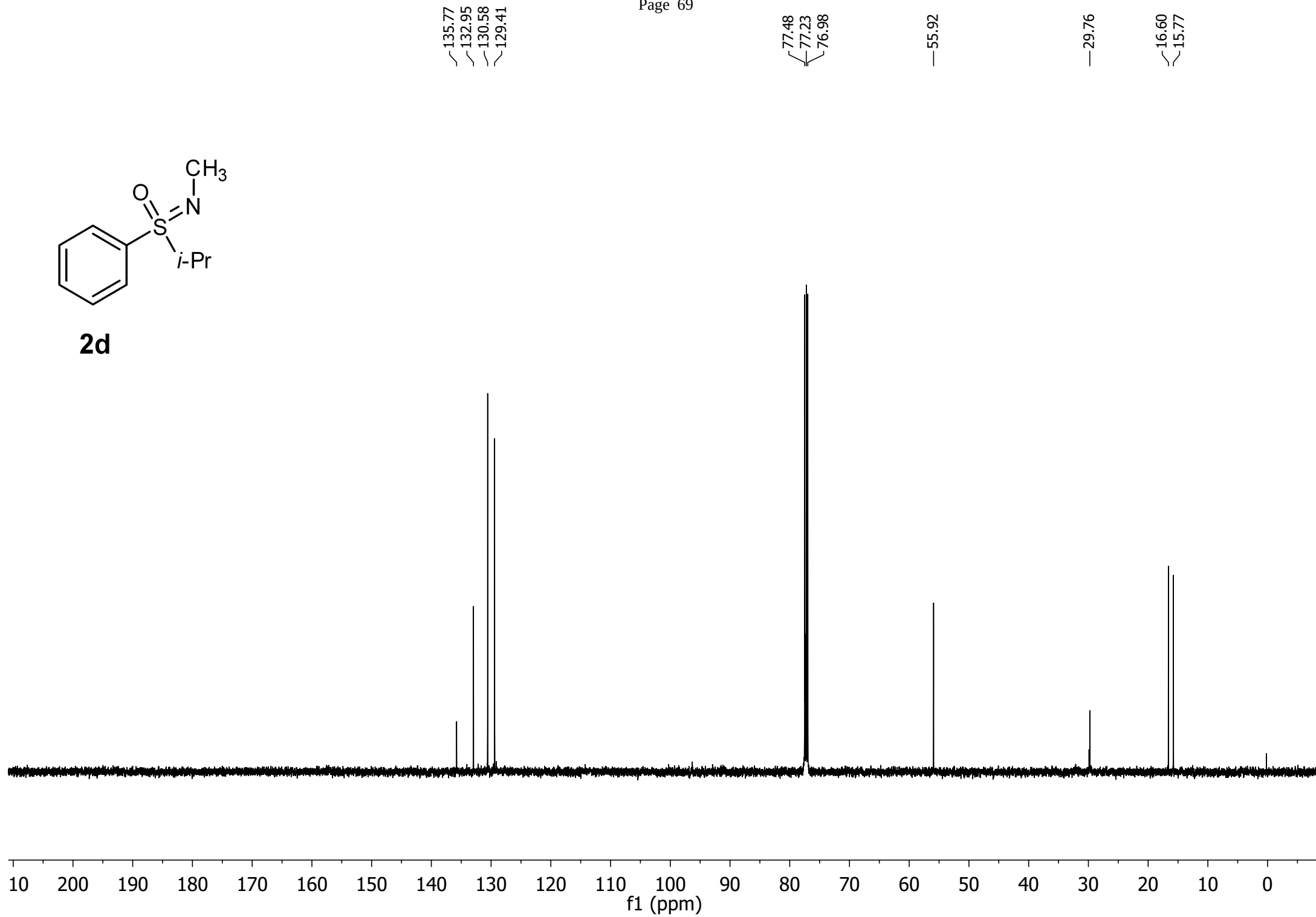


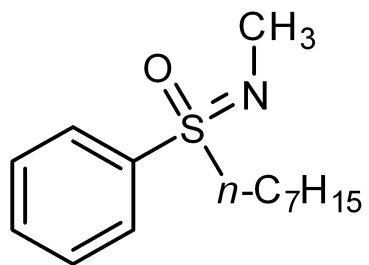
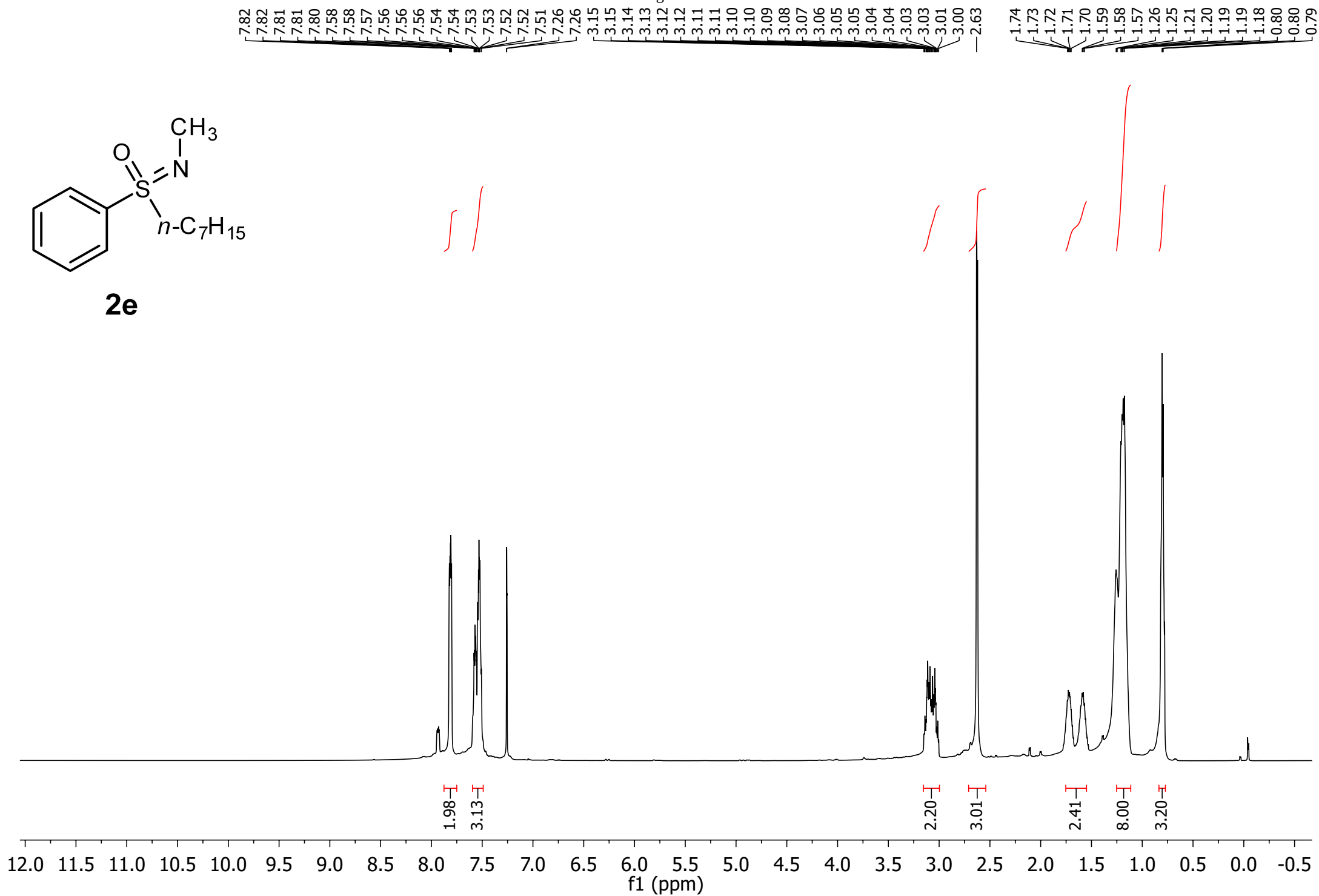






**2d**

**2d**

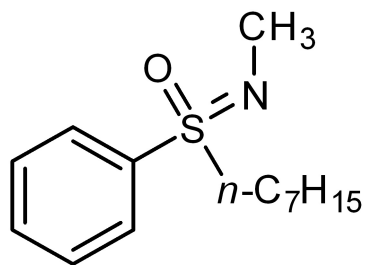
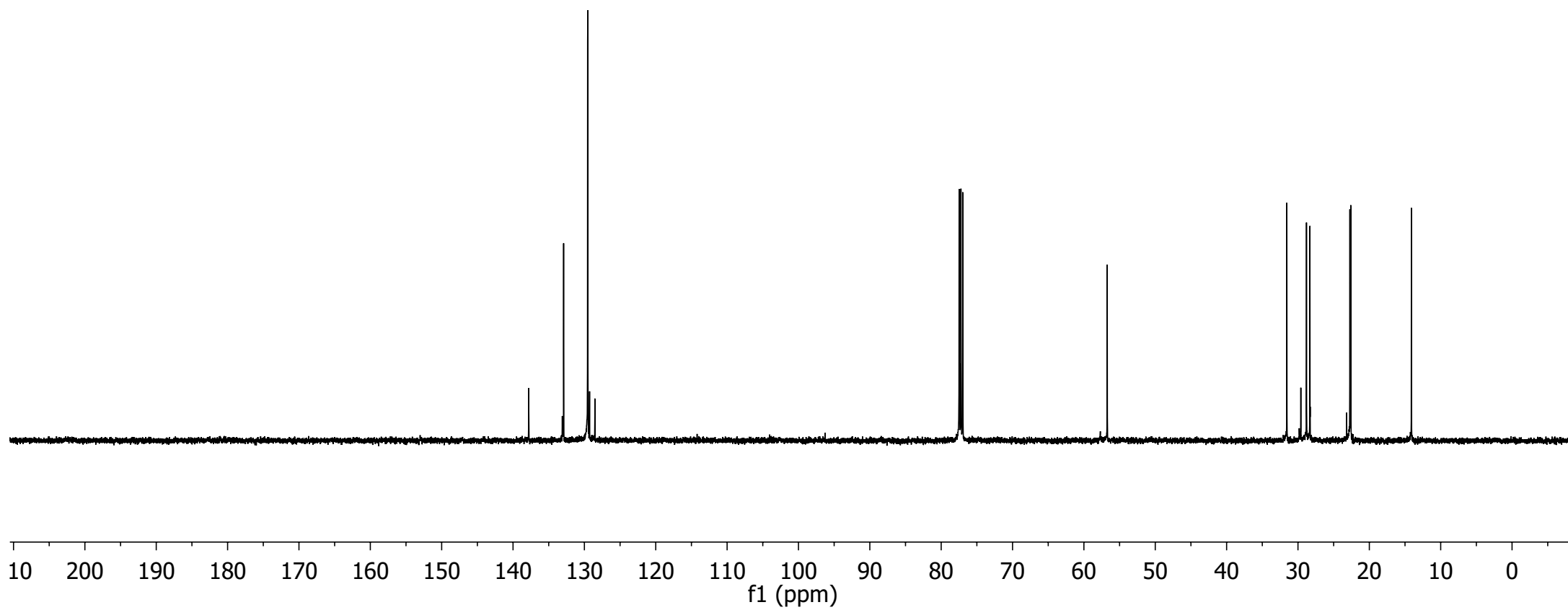
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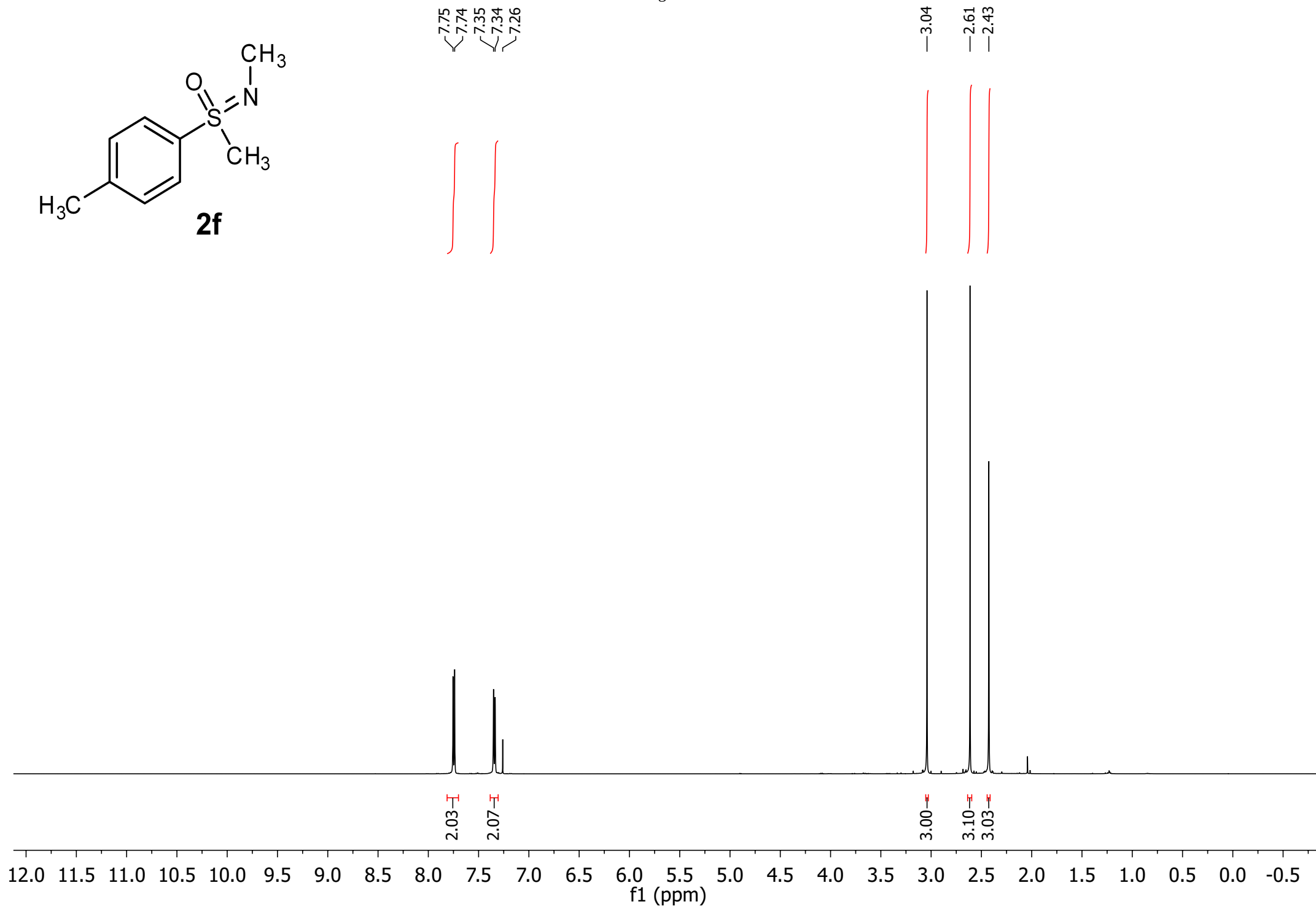
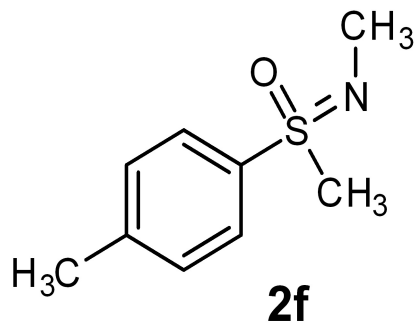
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129.52
128.53

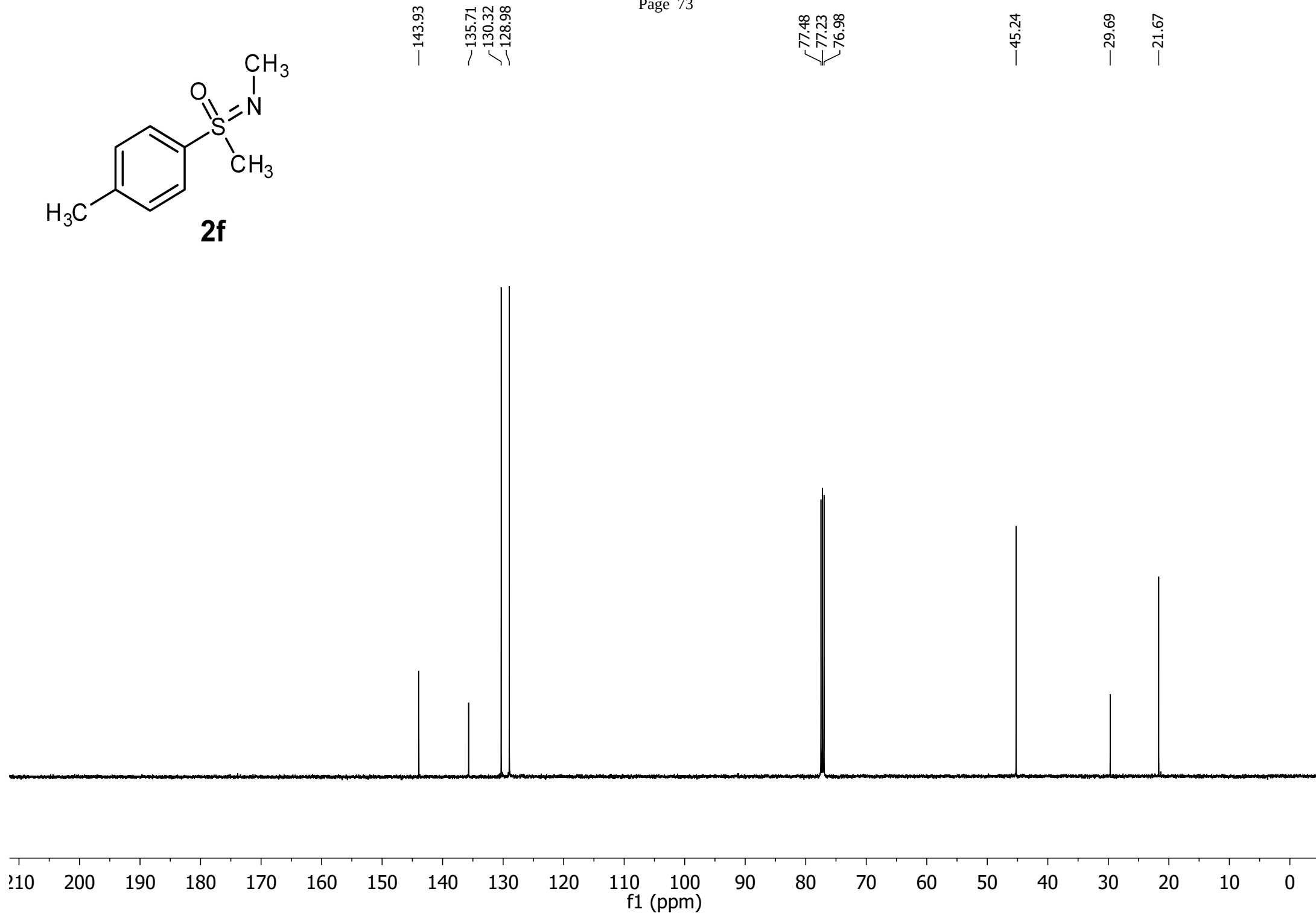
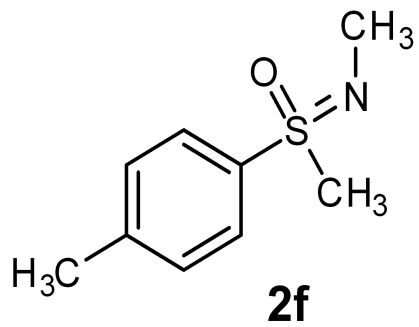
77.48
77.23
76.98

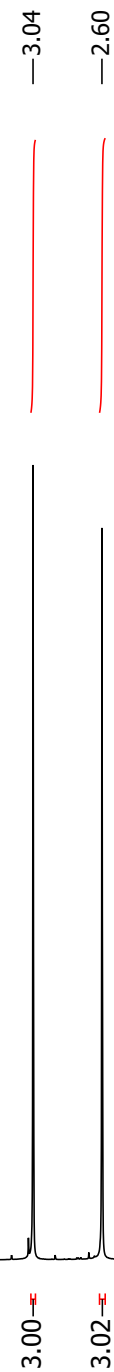
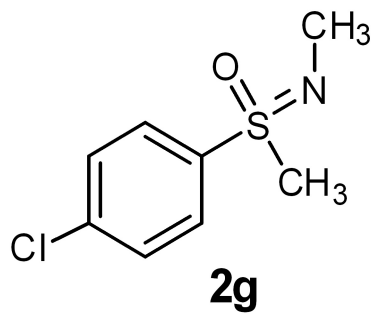
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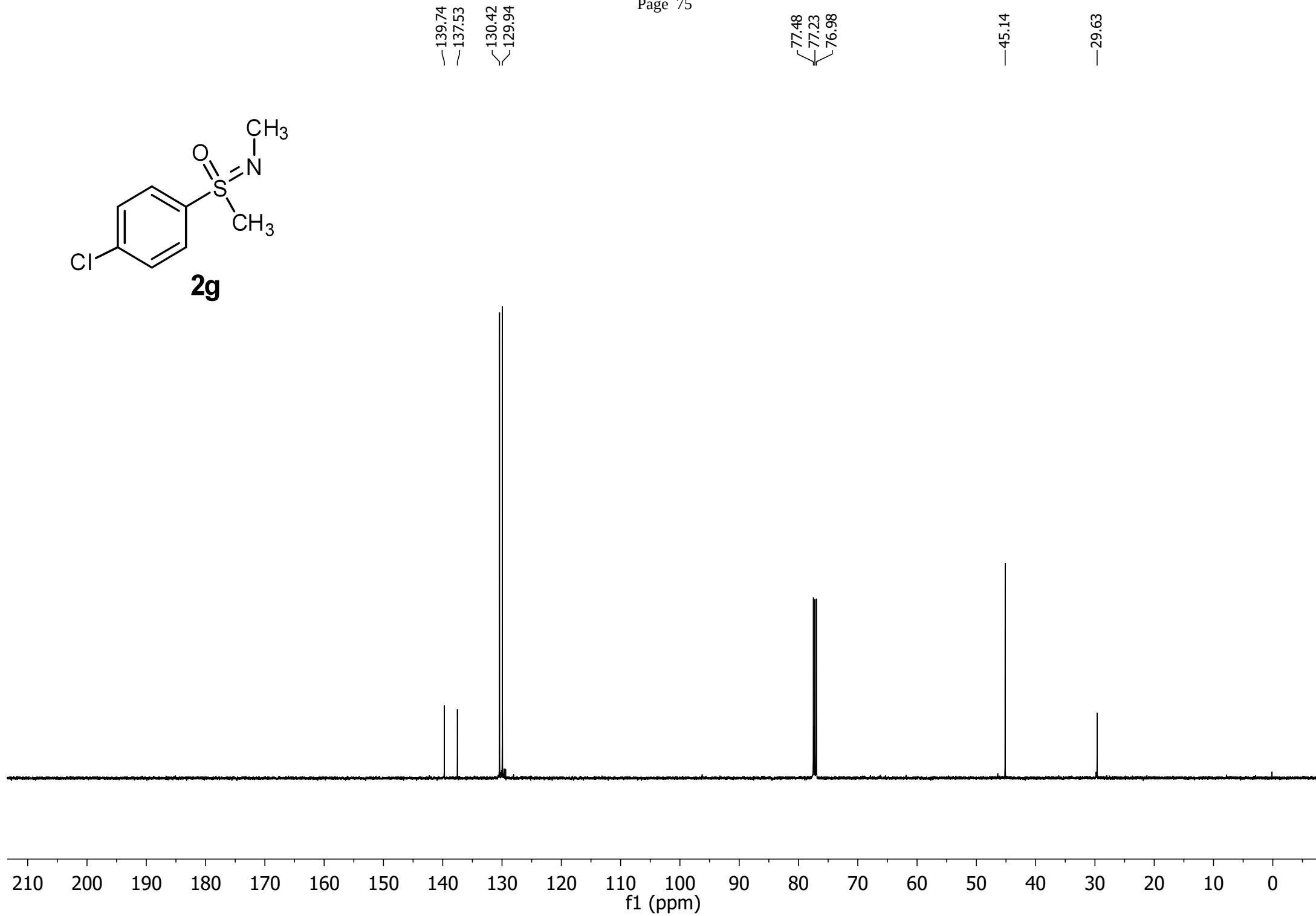
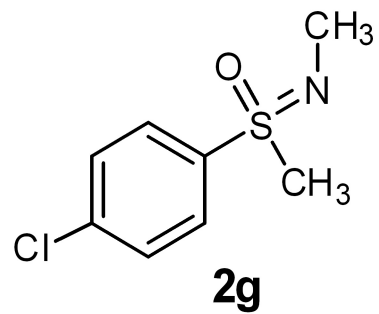
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22.60
14.10

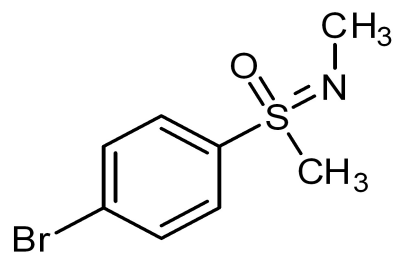
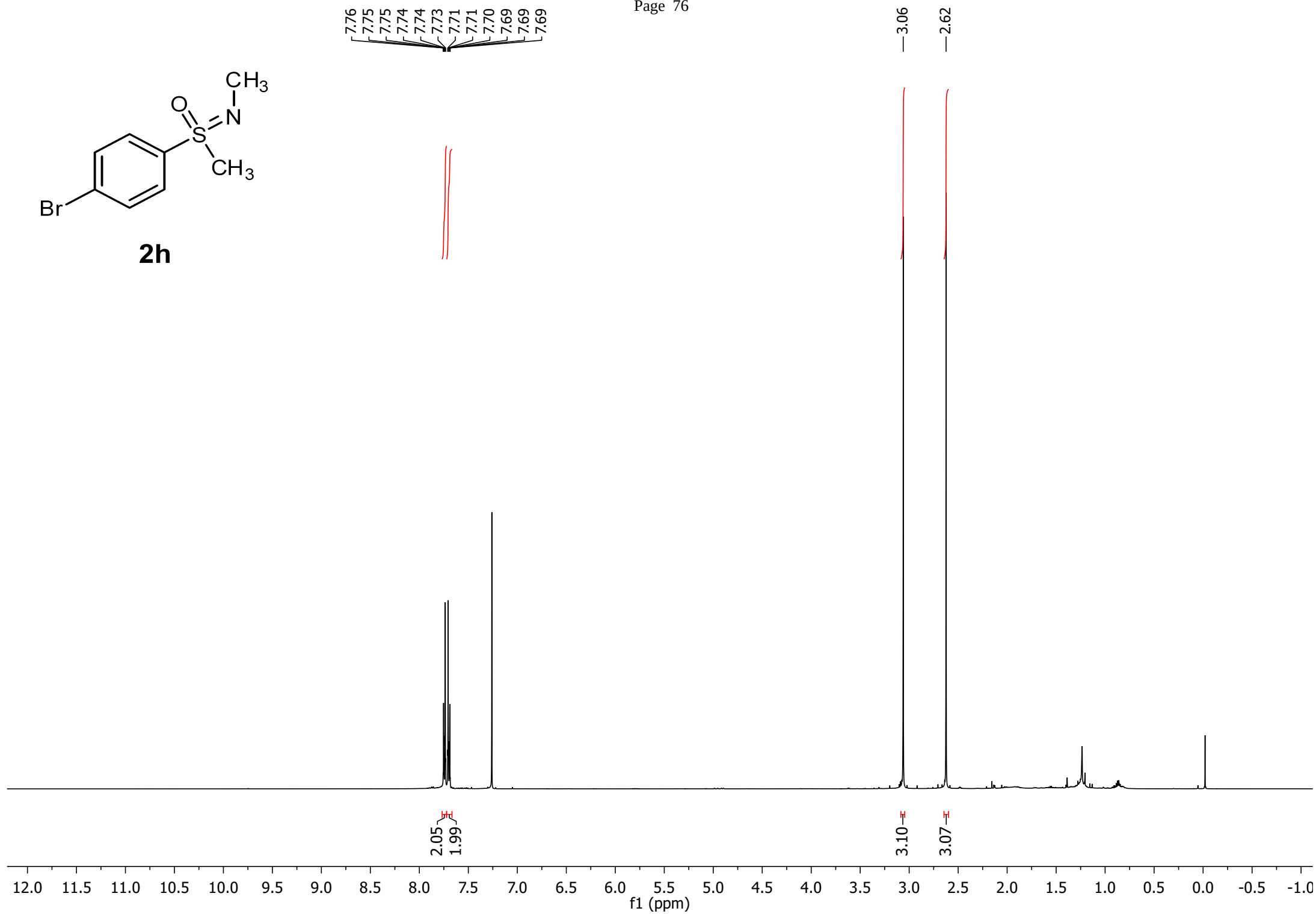
**2e**

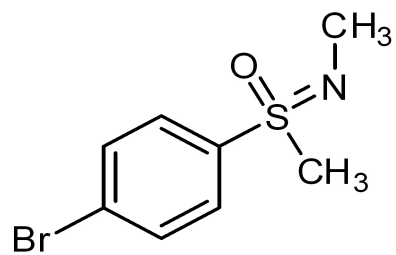
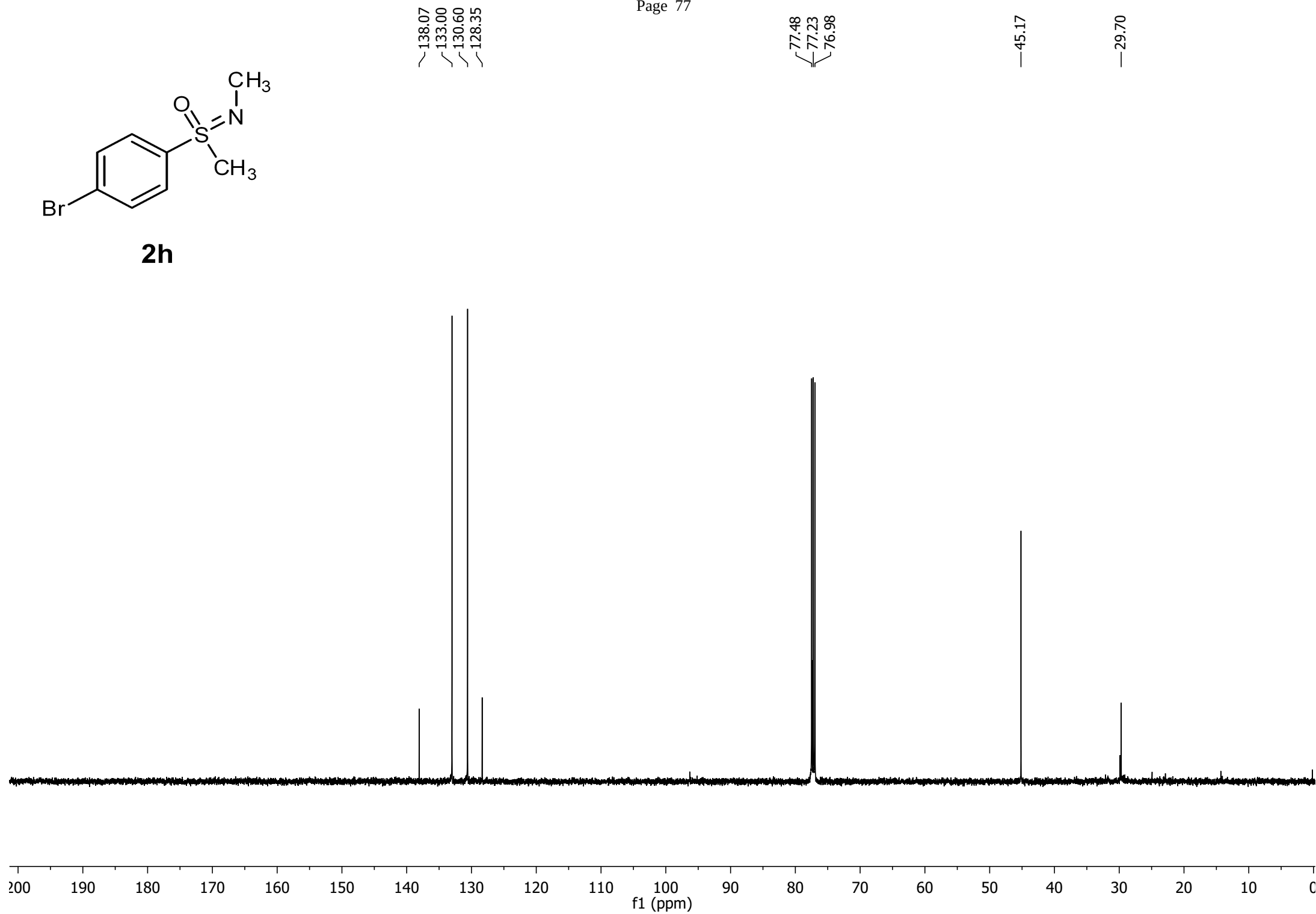


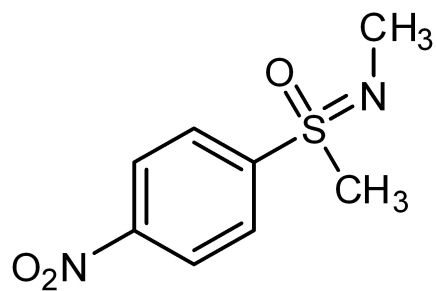
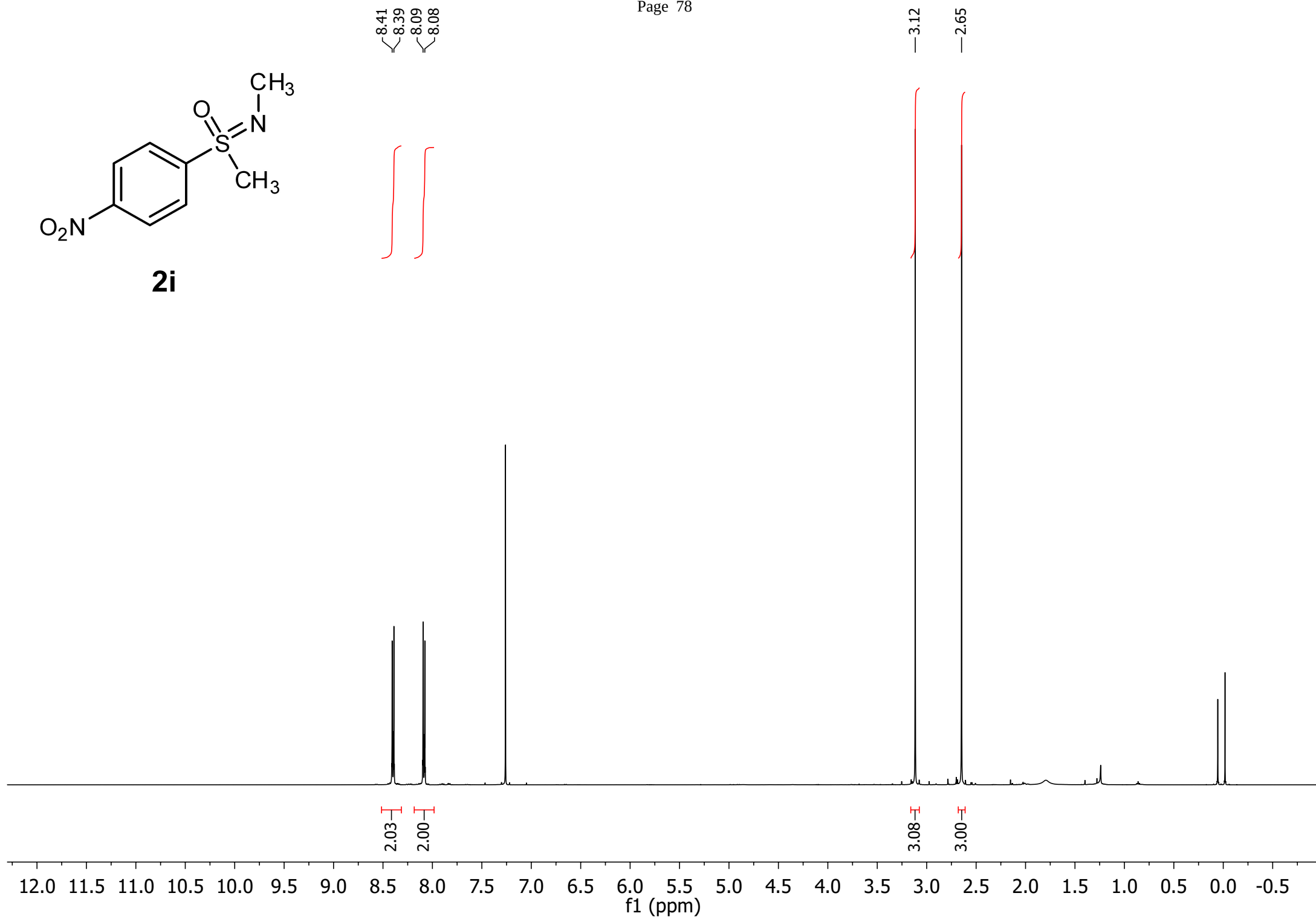


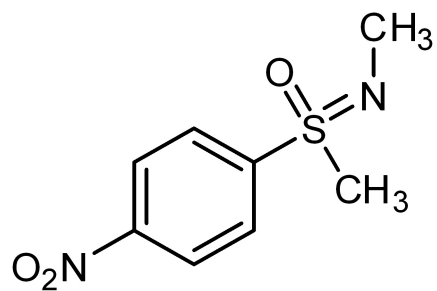
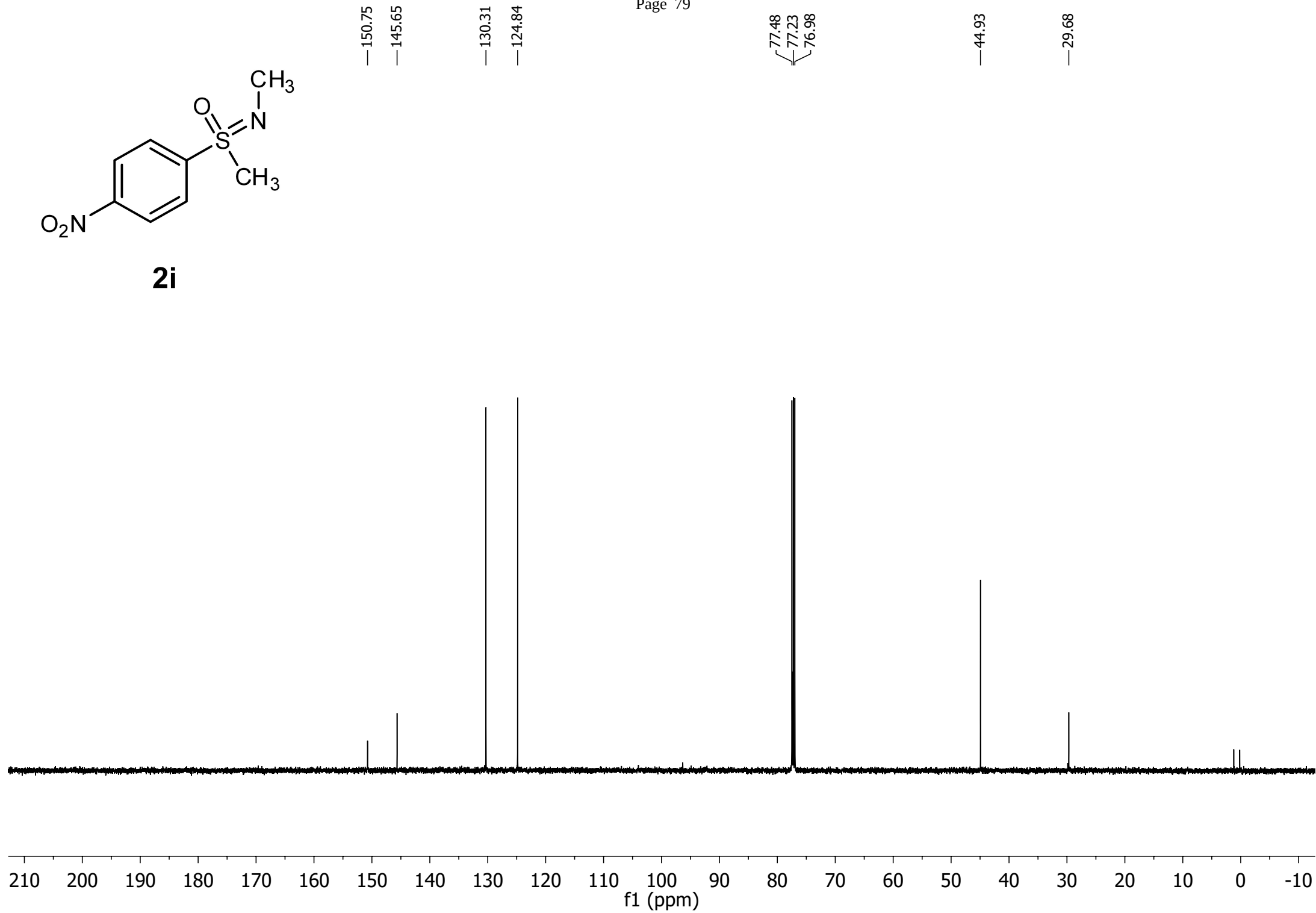


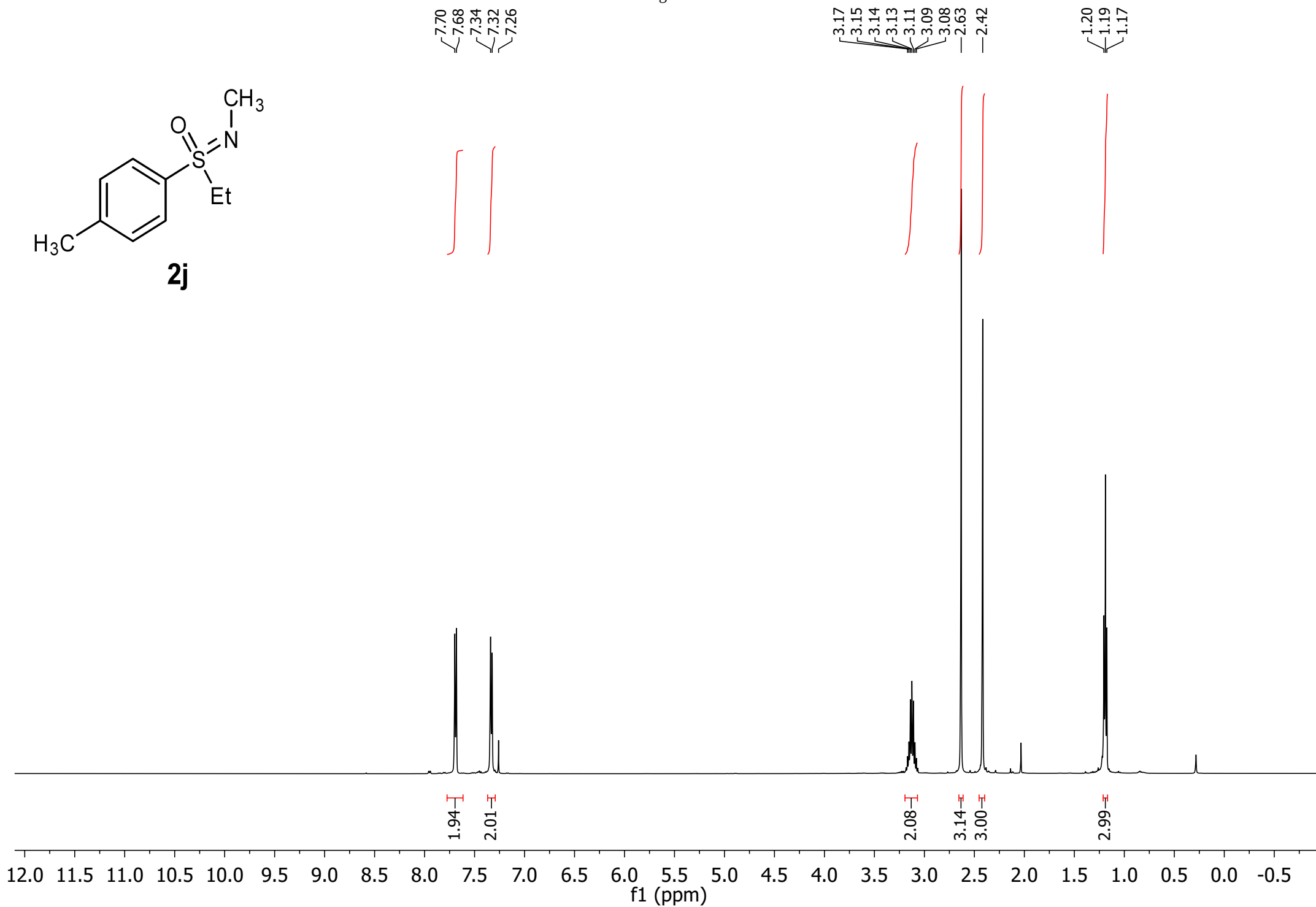
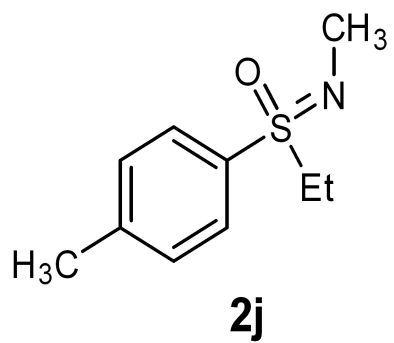


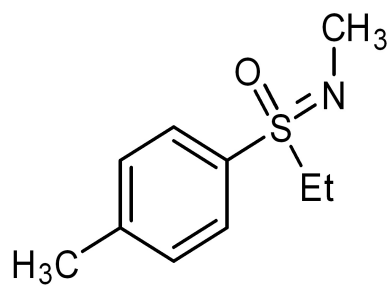
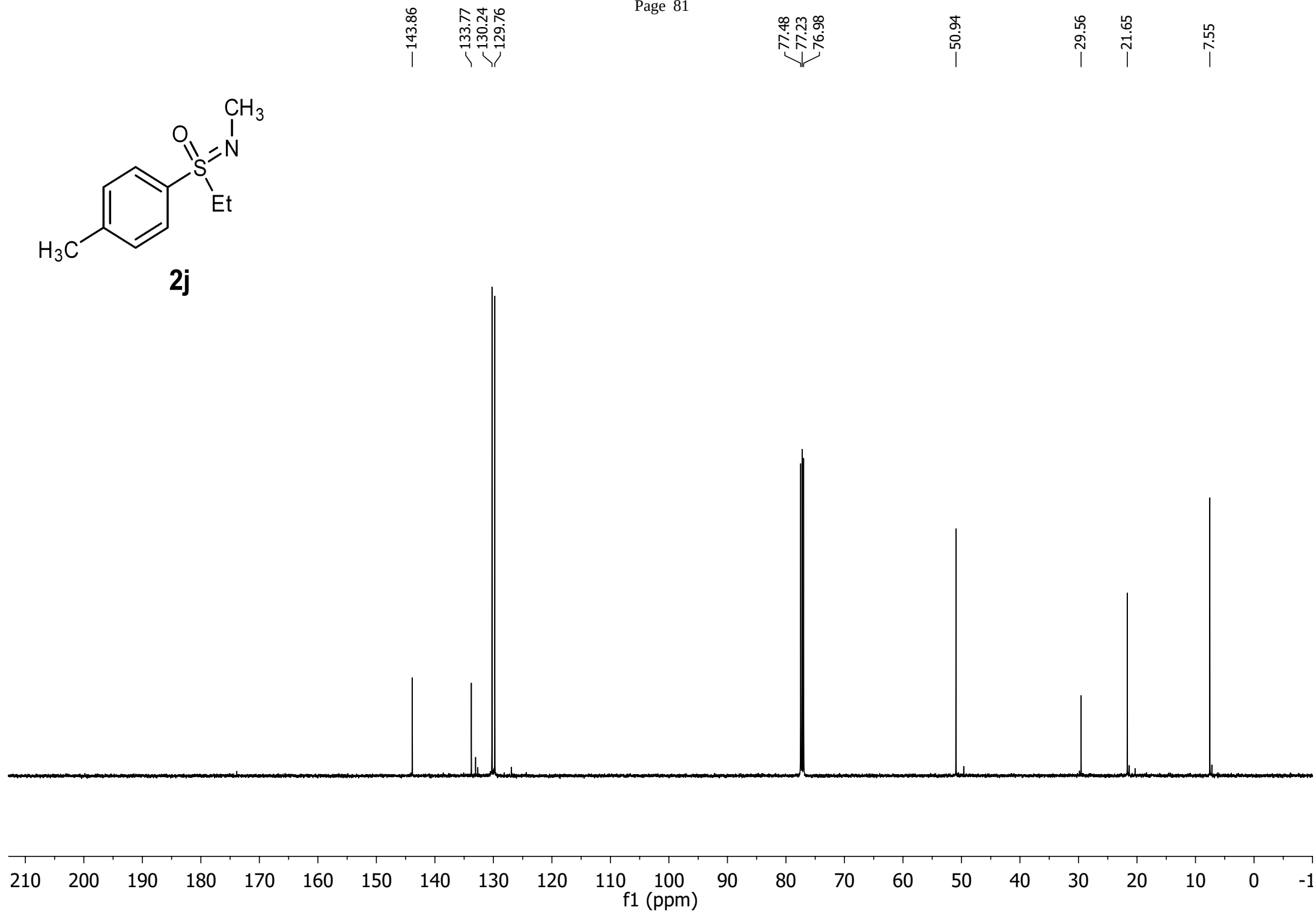
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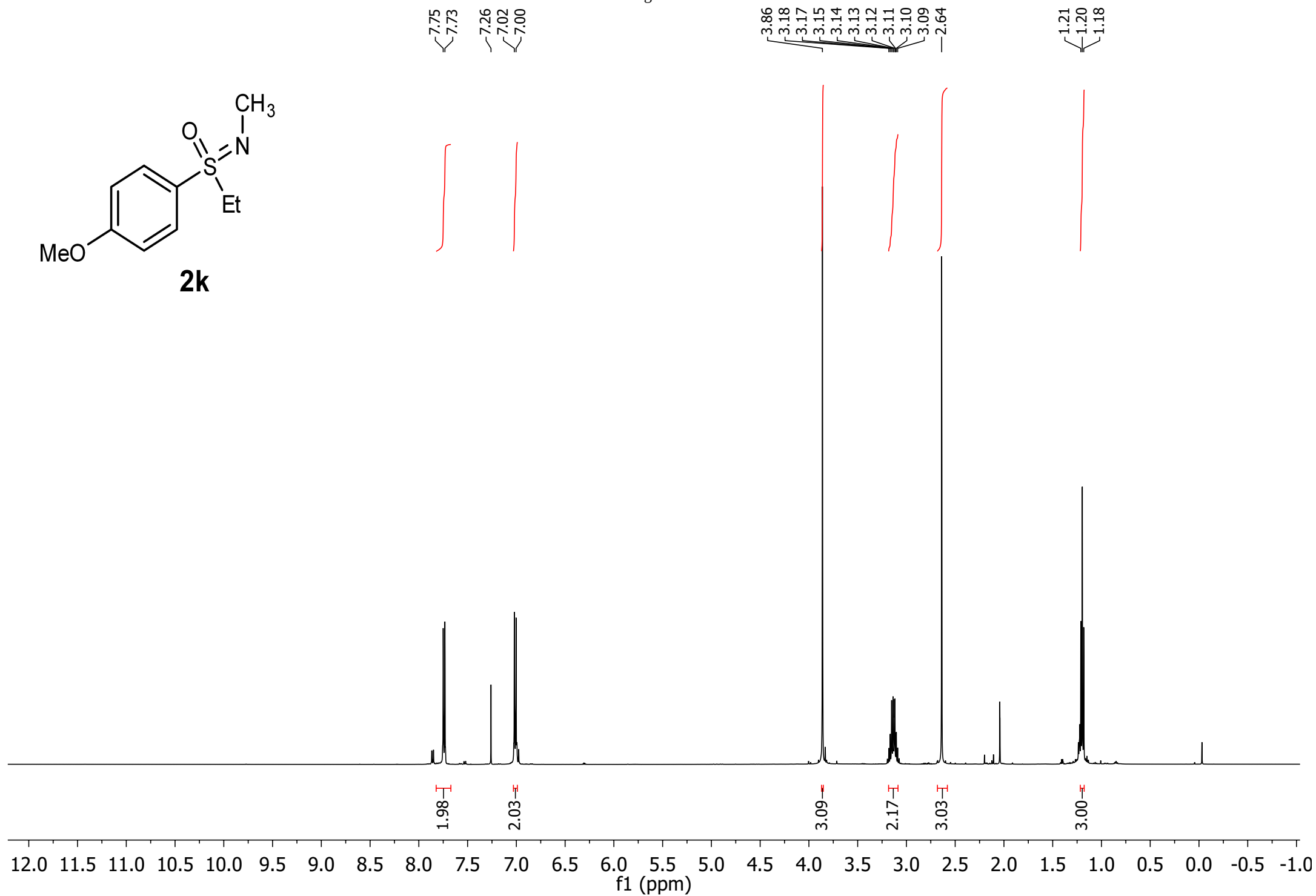
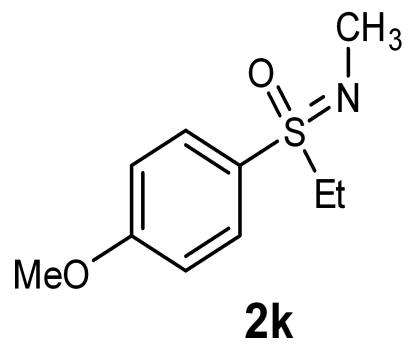
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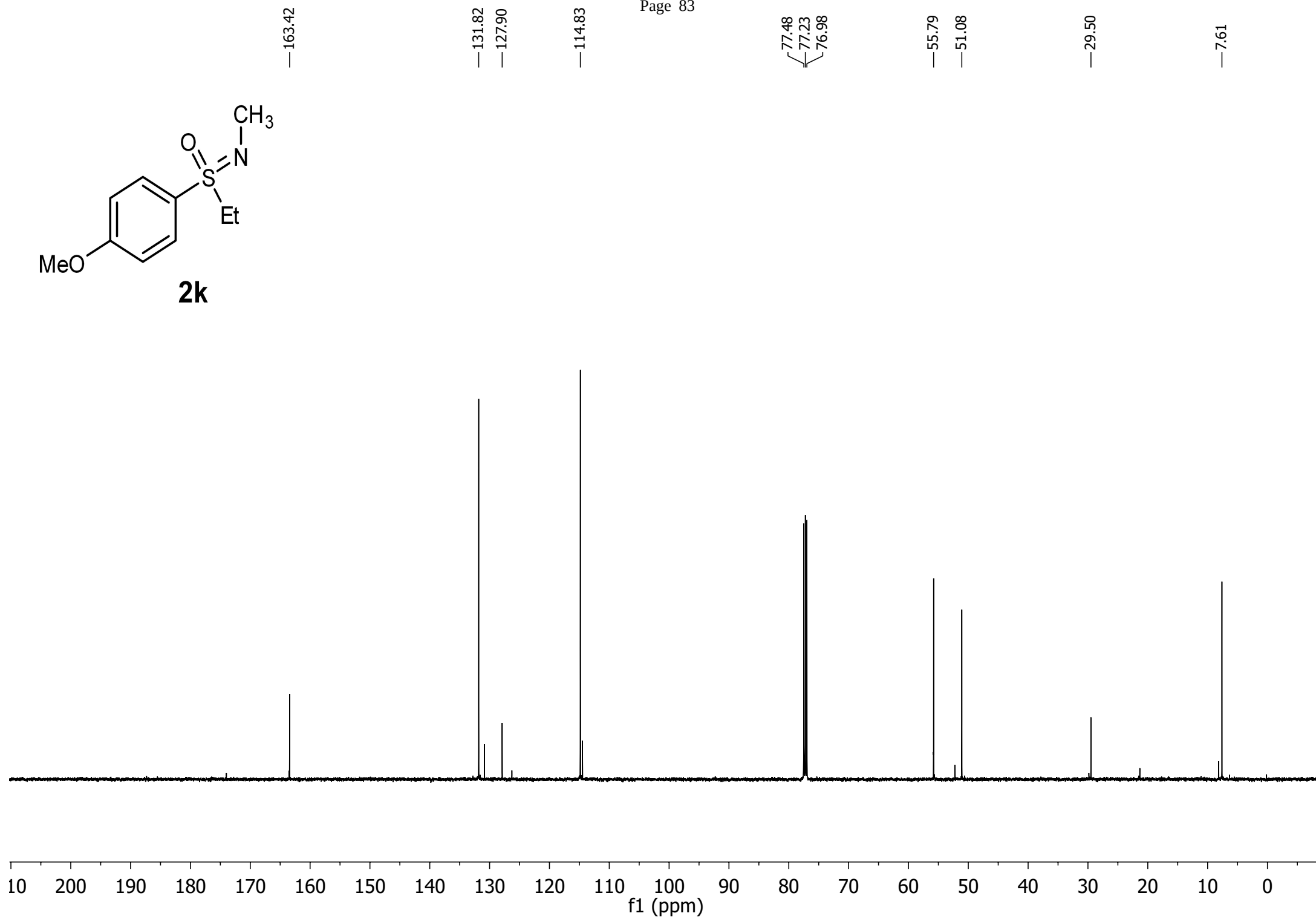
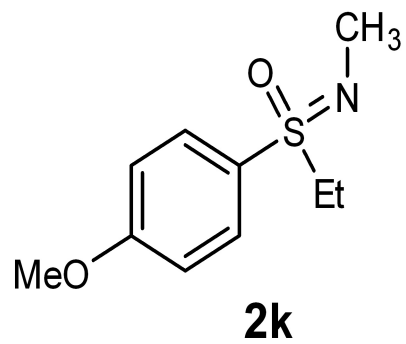
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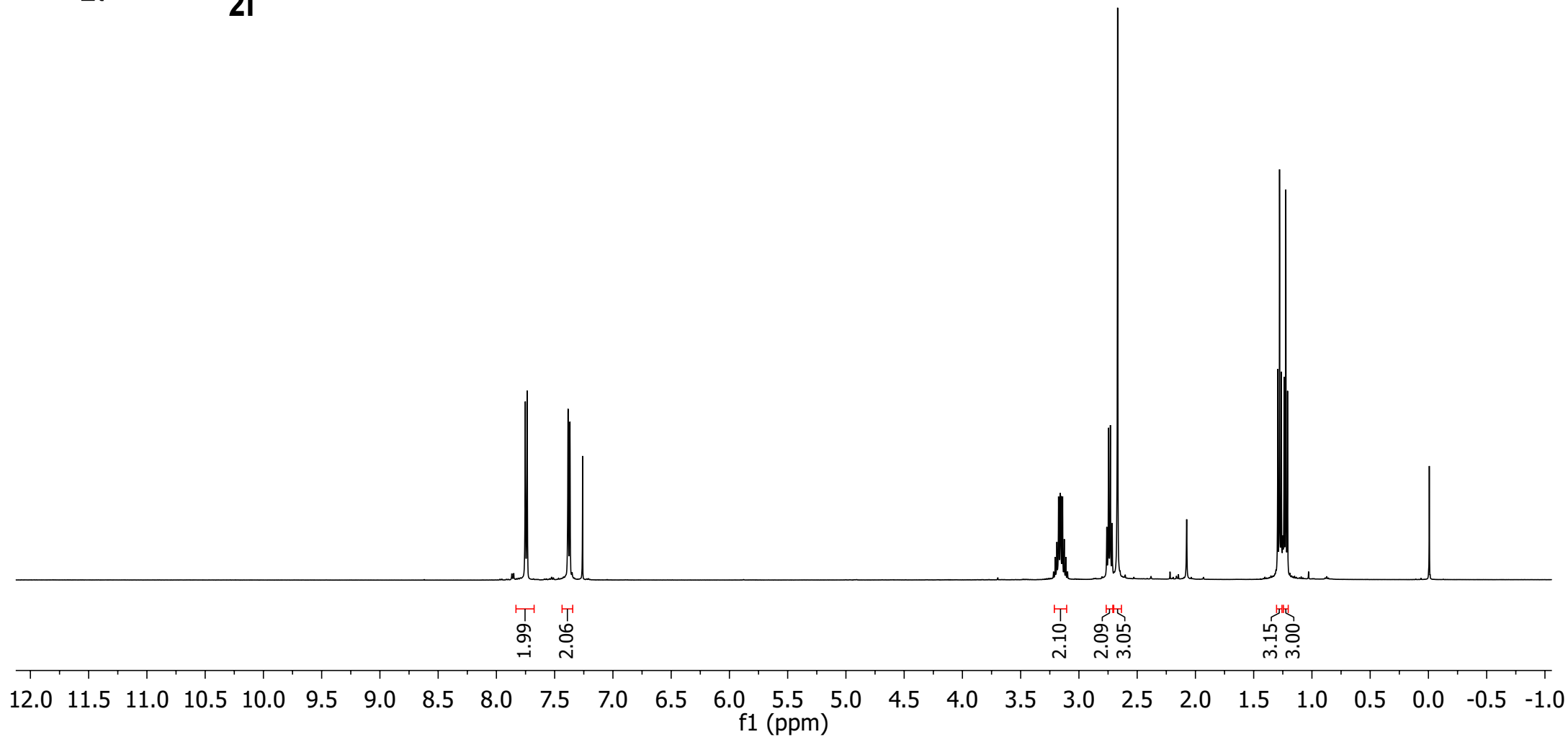
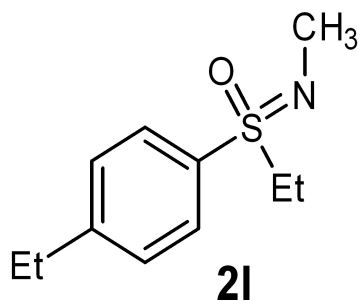
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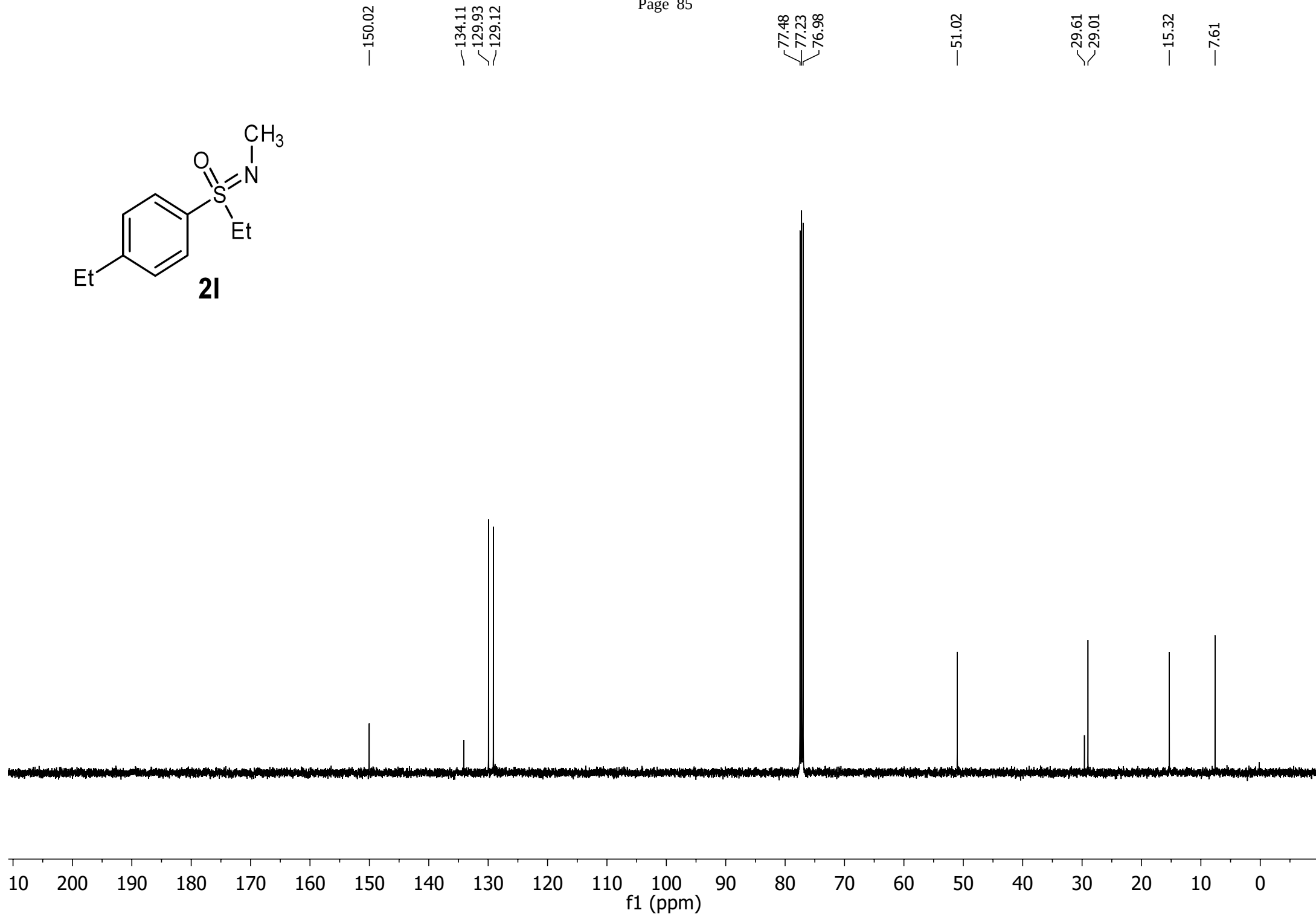
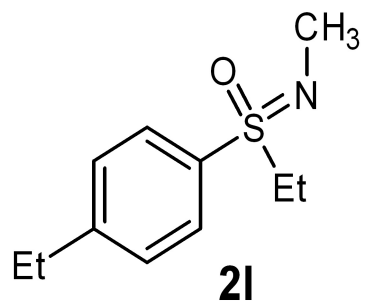


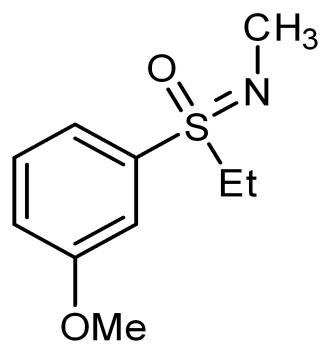
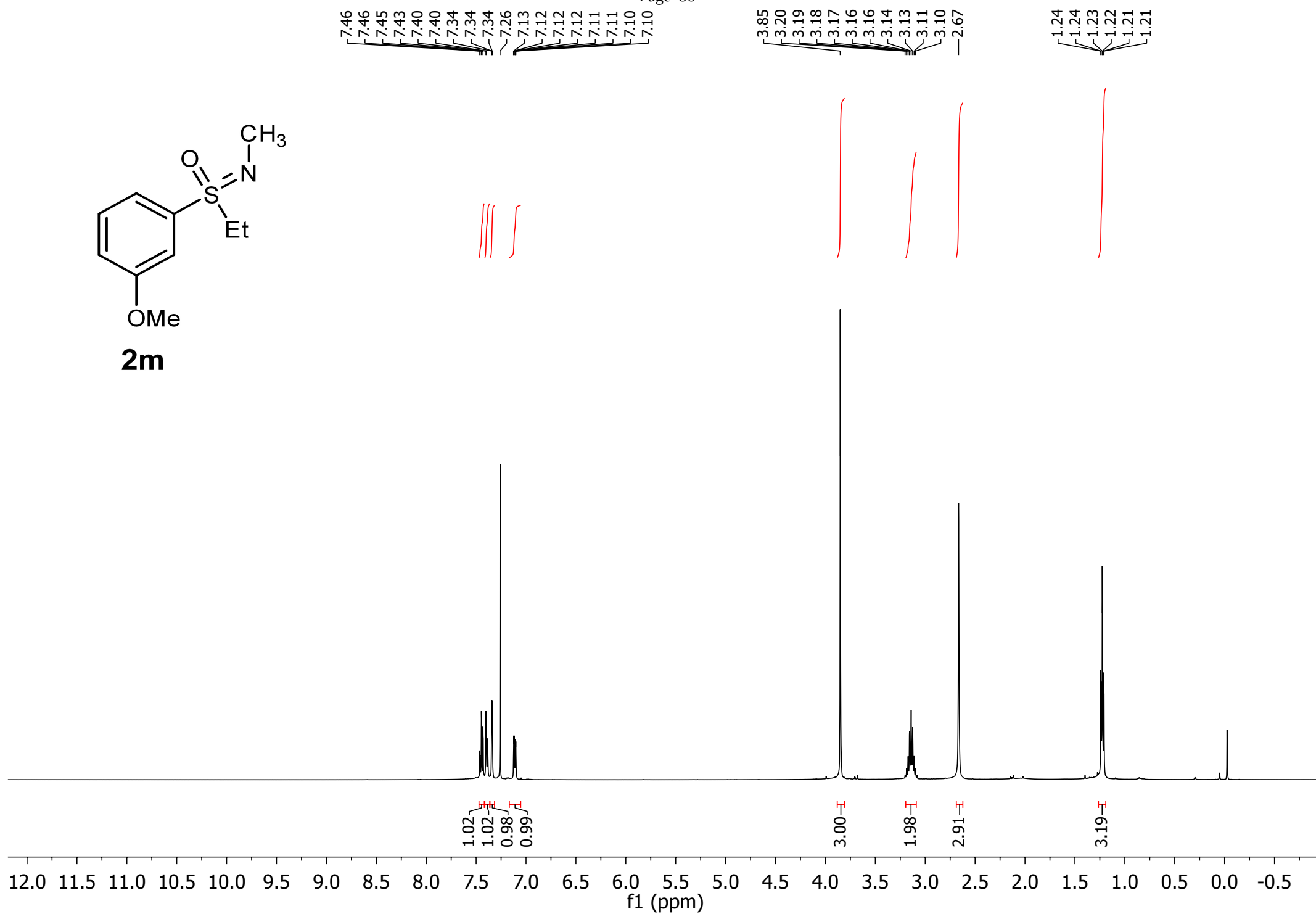
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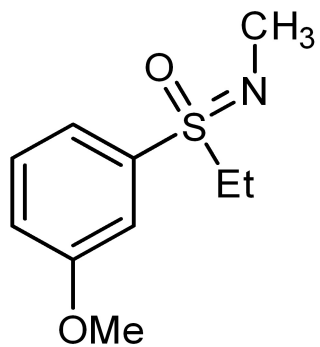
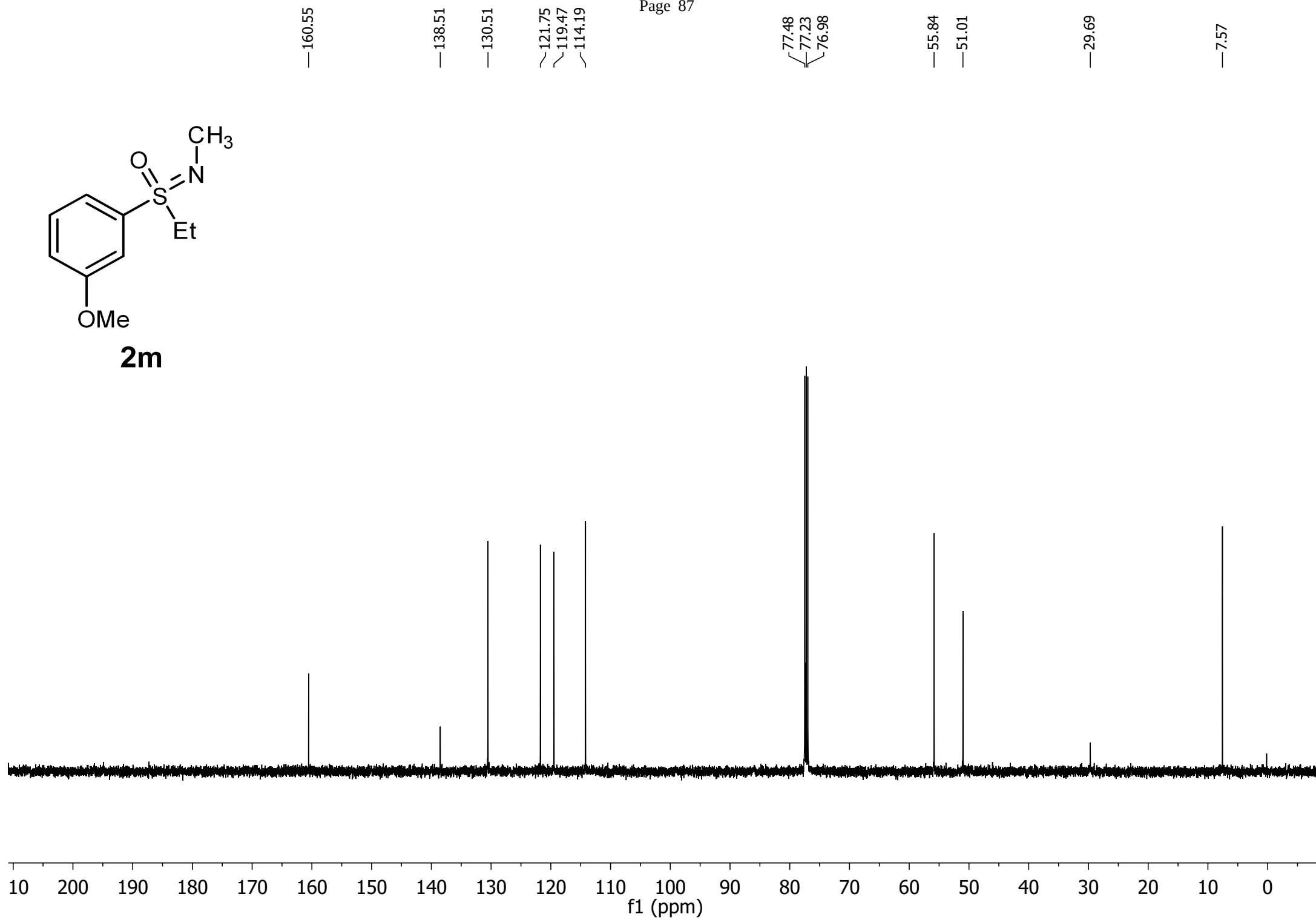


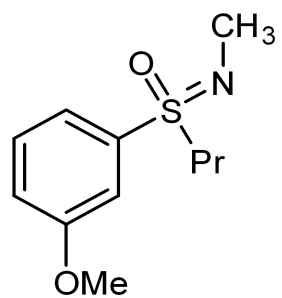
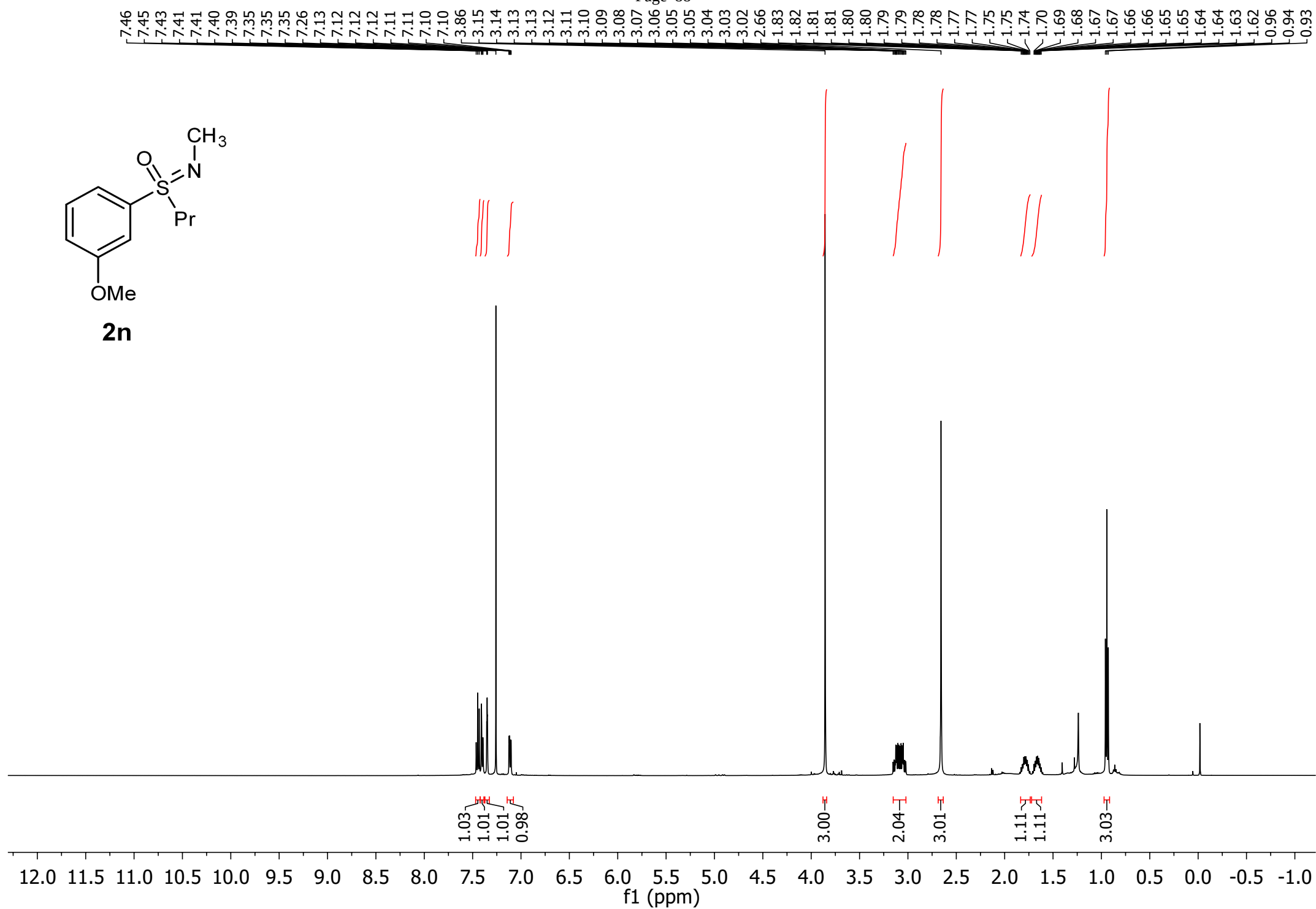


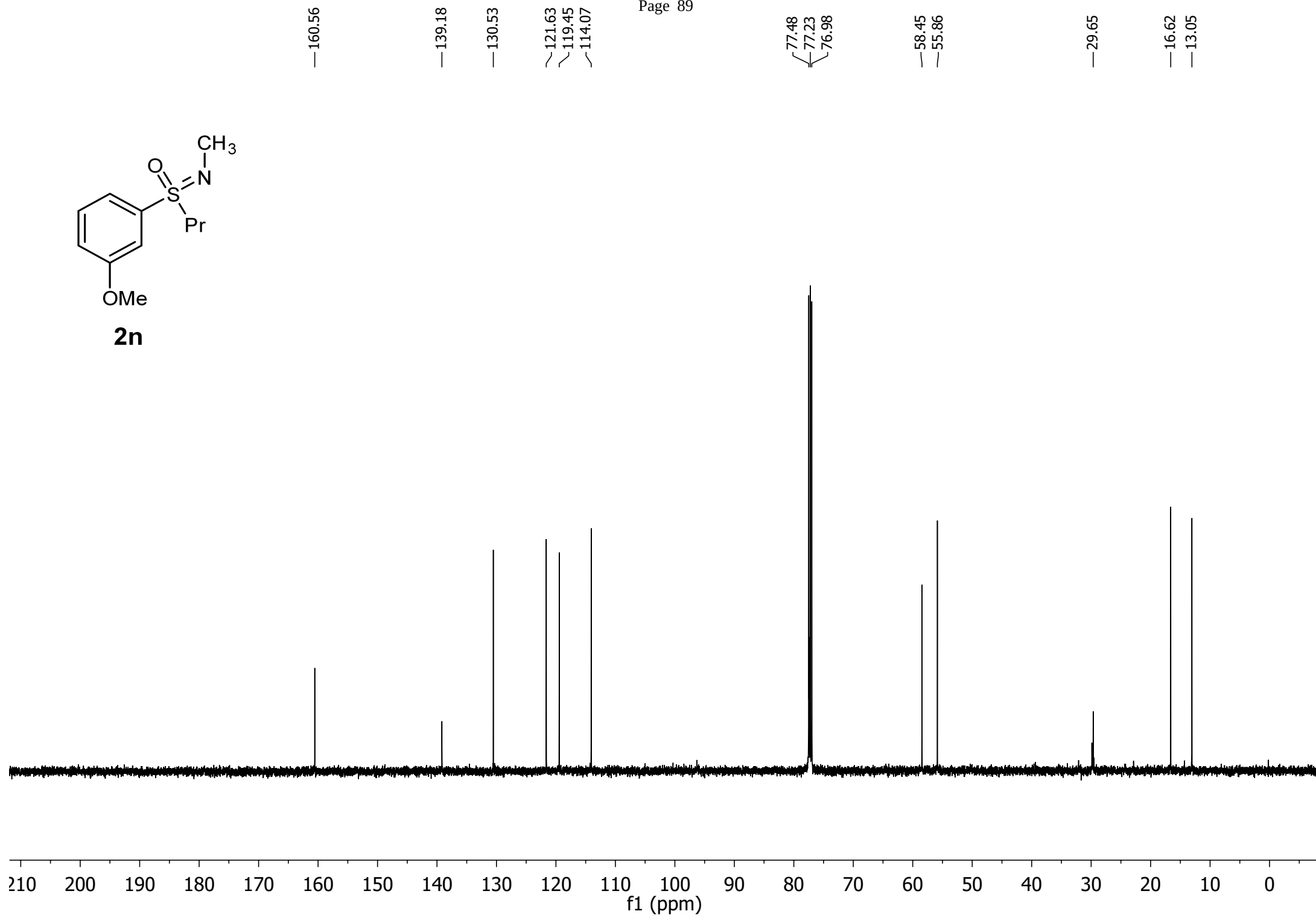
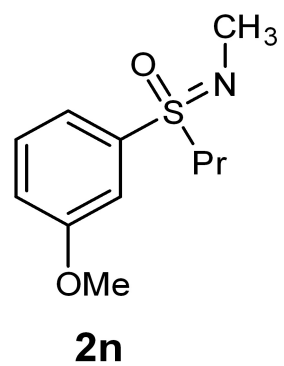


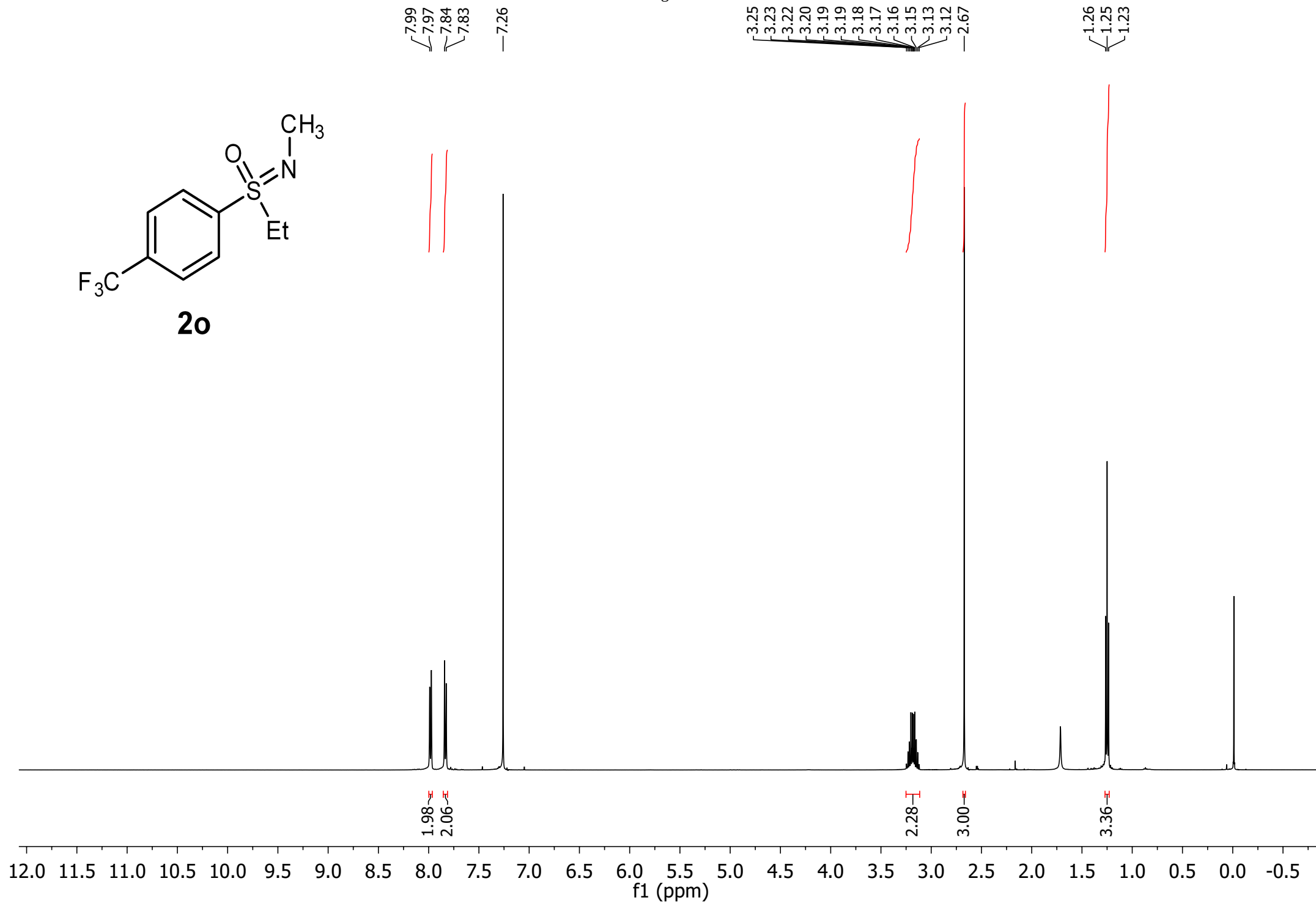
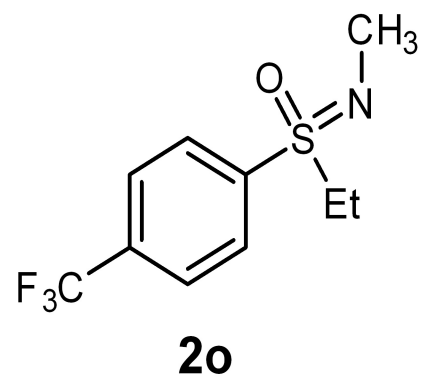


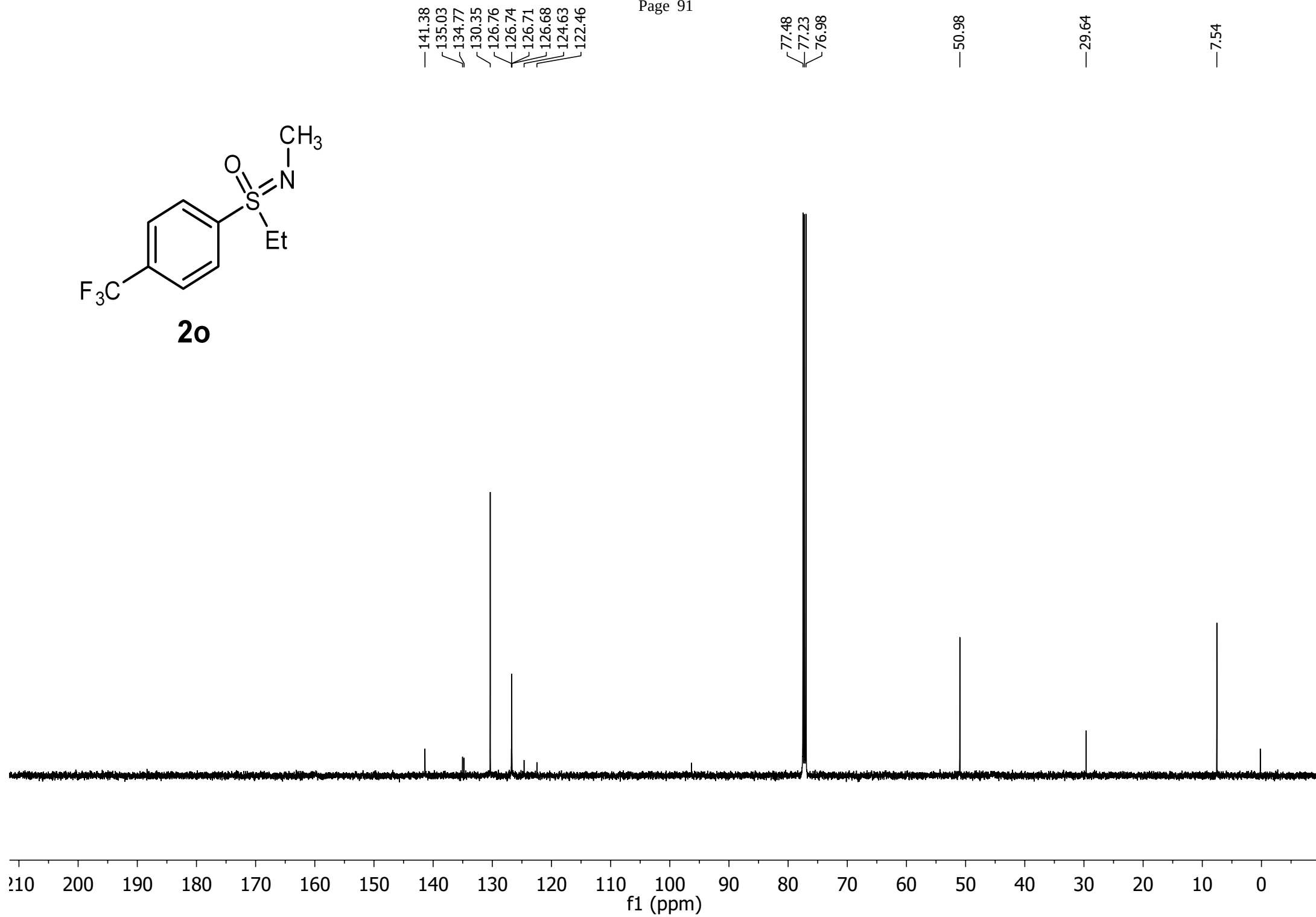
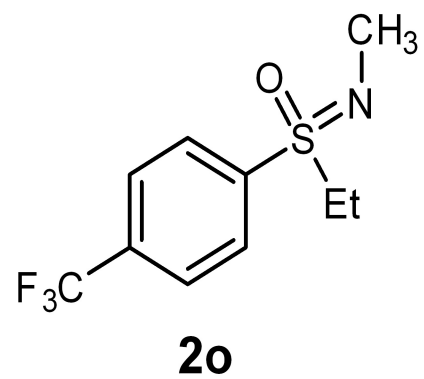
**2m**

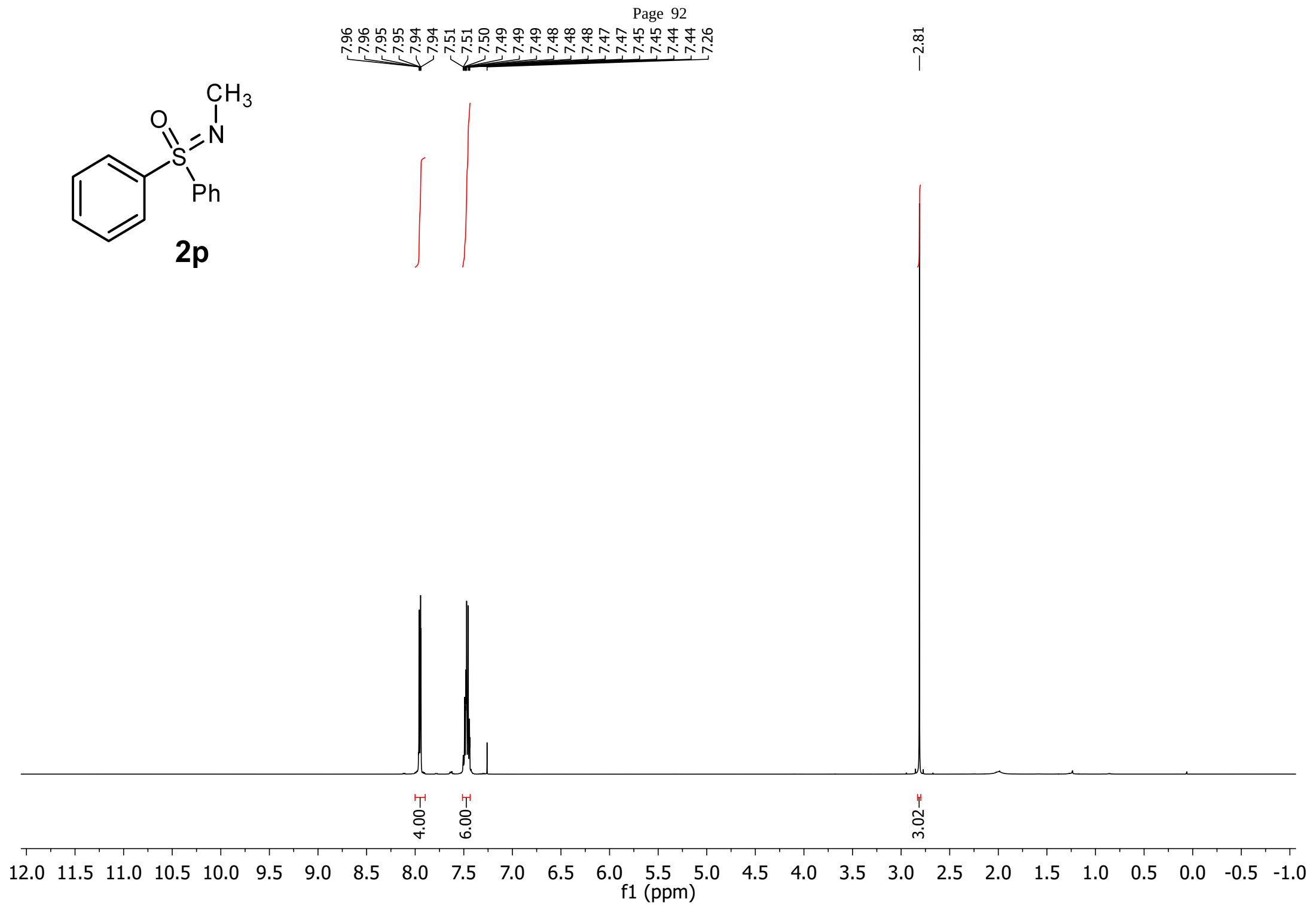
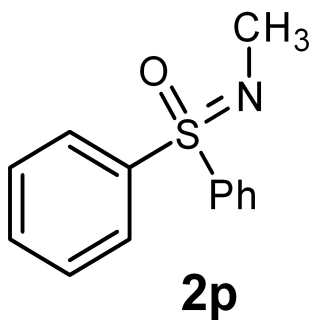
**2m**

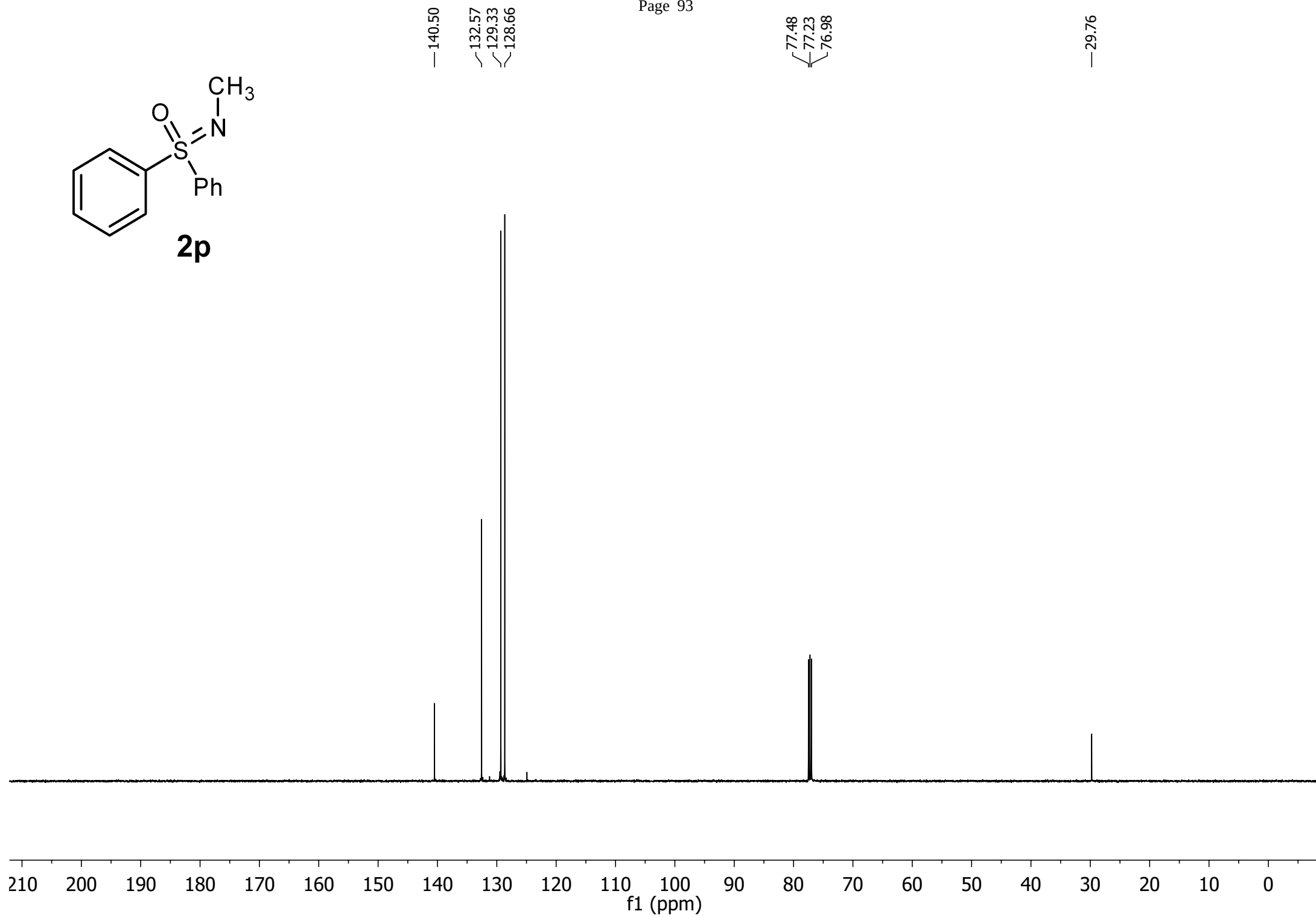
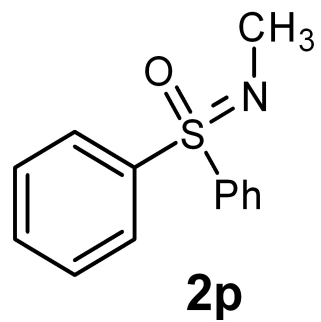
**2n**

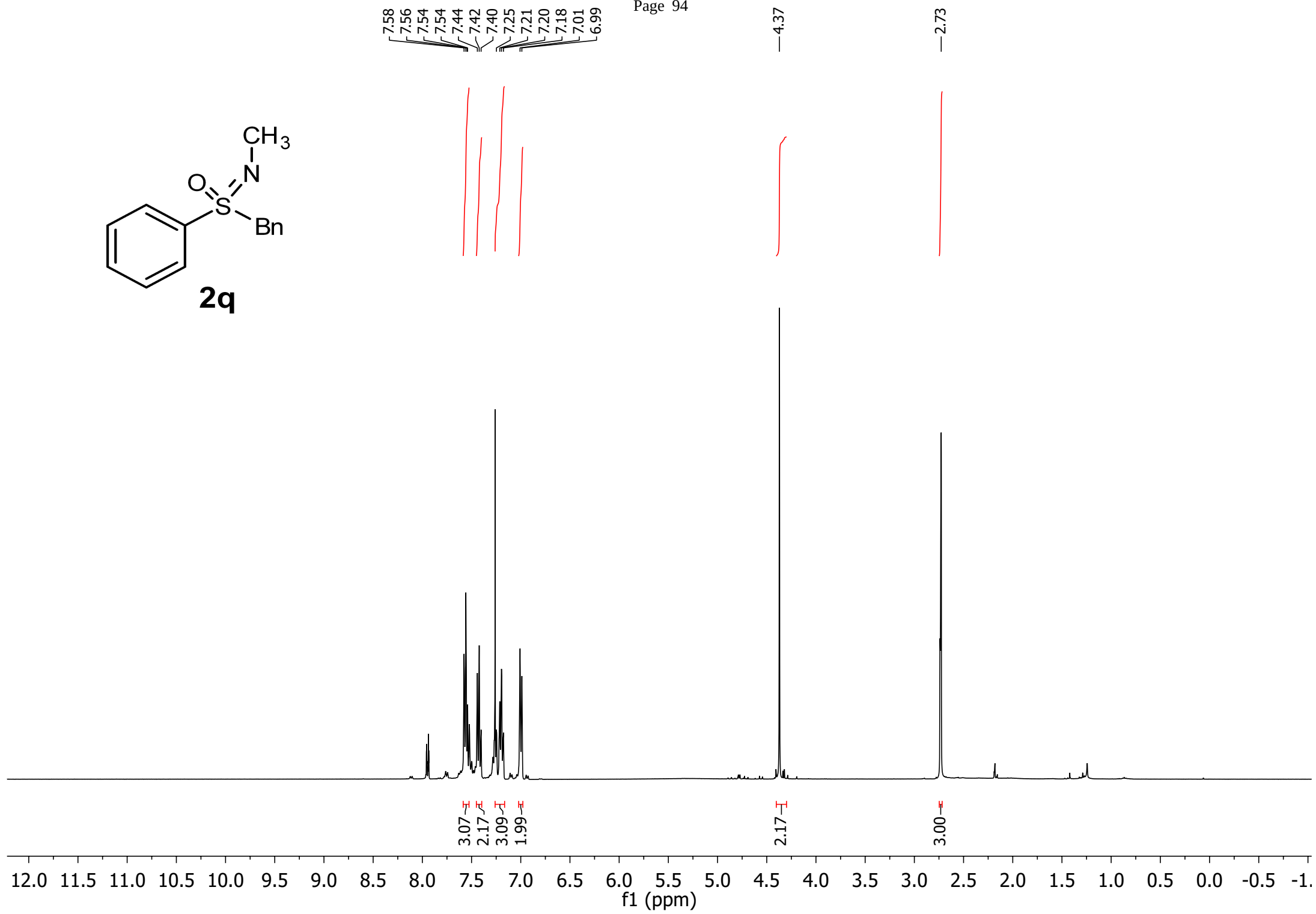
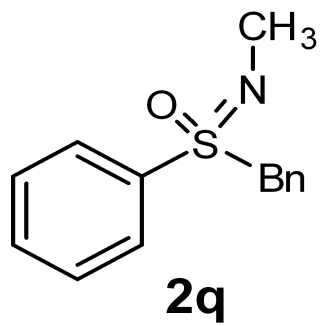


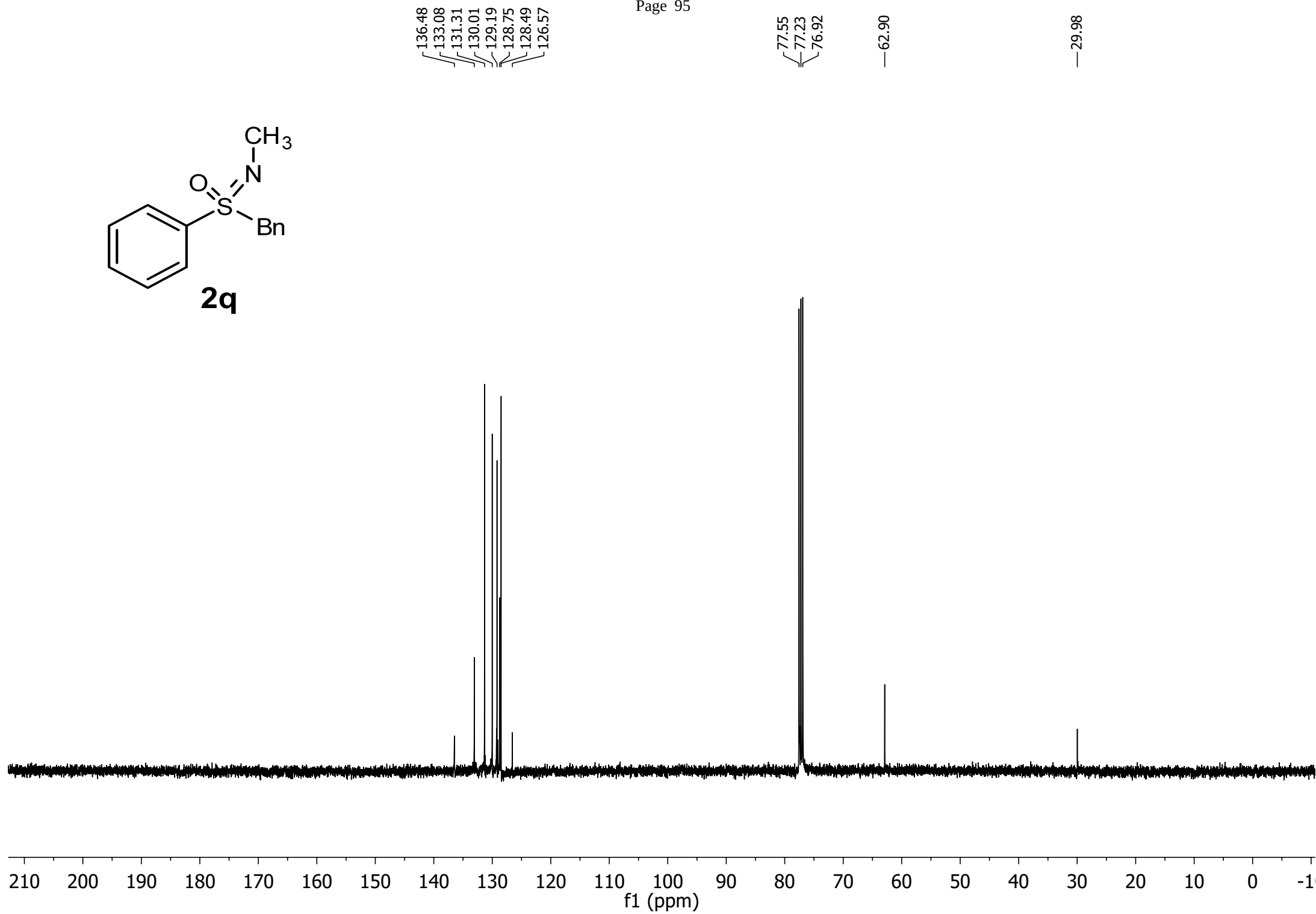
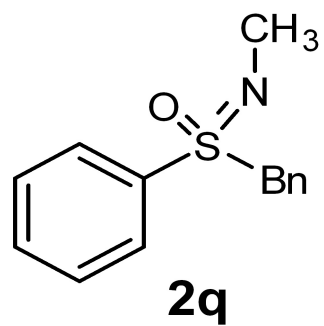


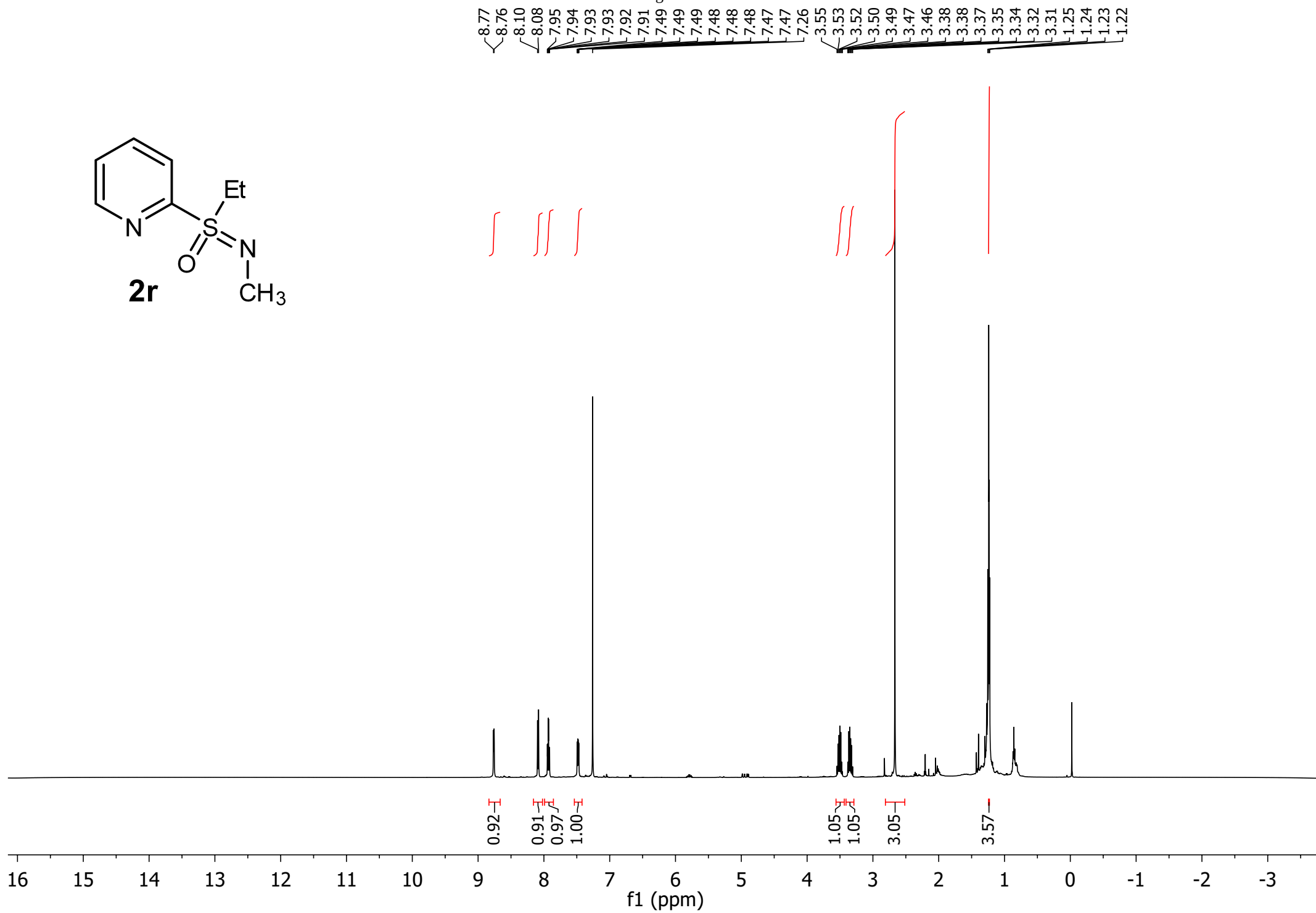
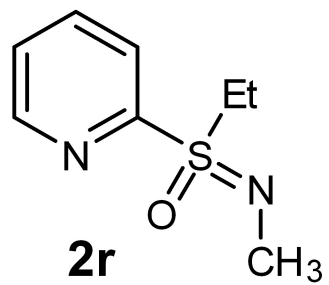


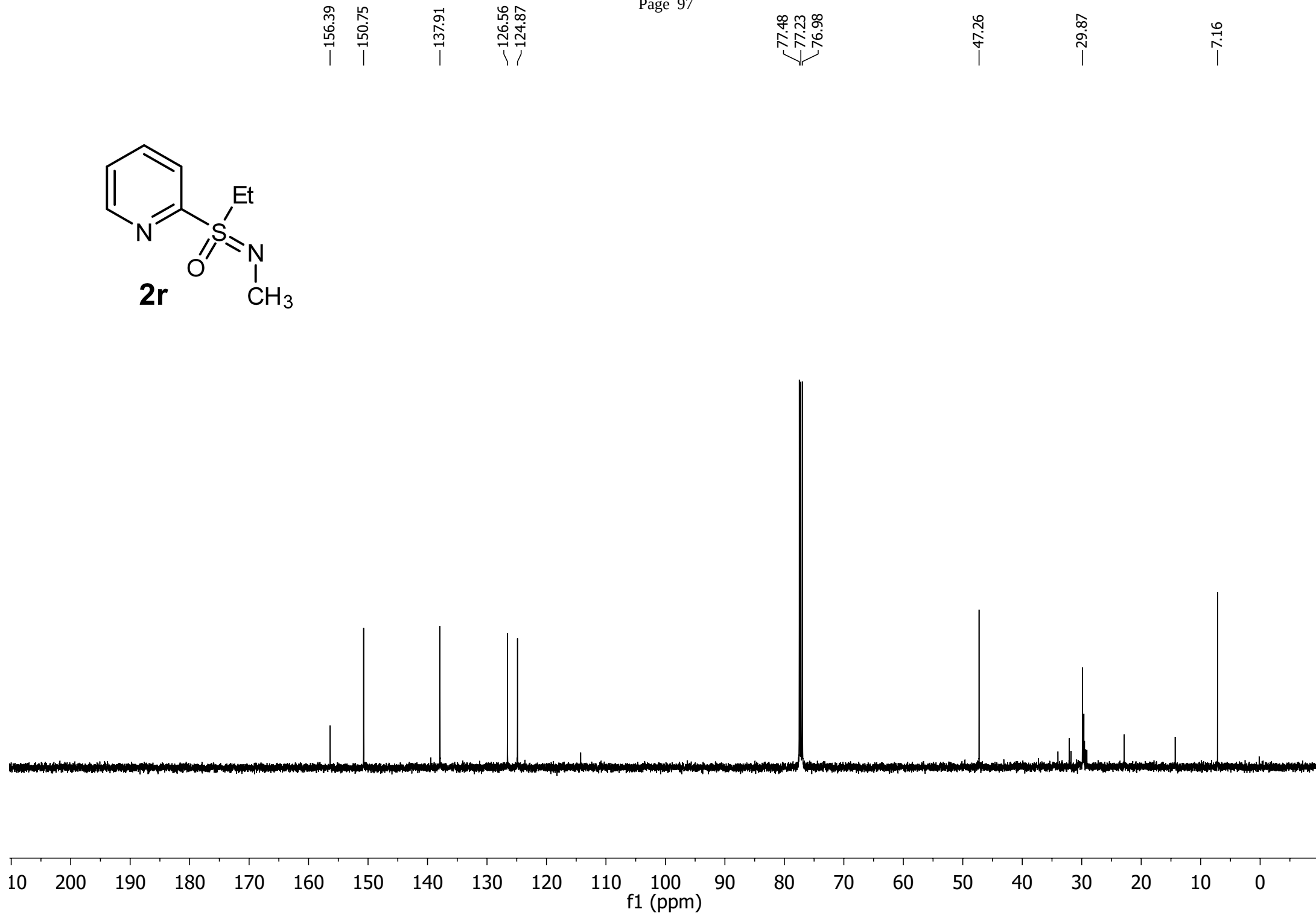
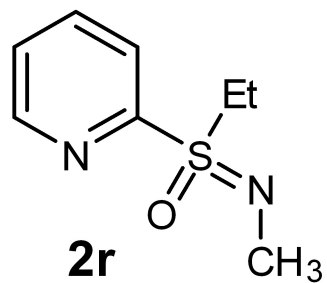


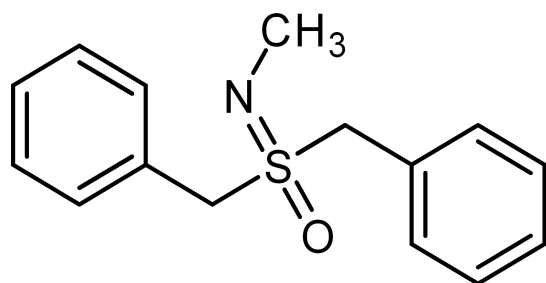
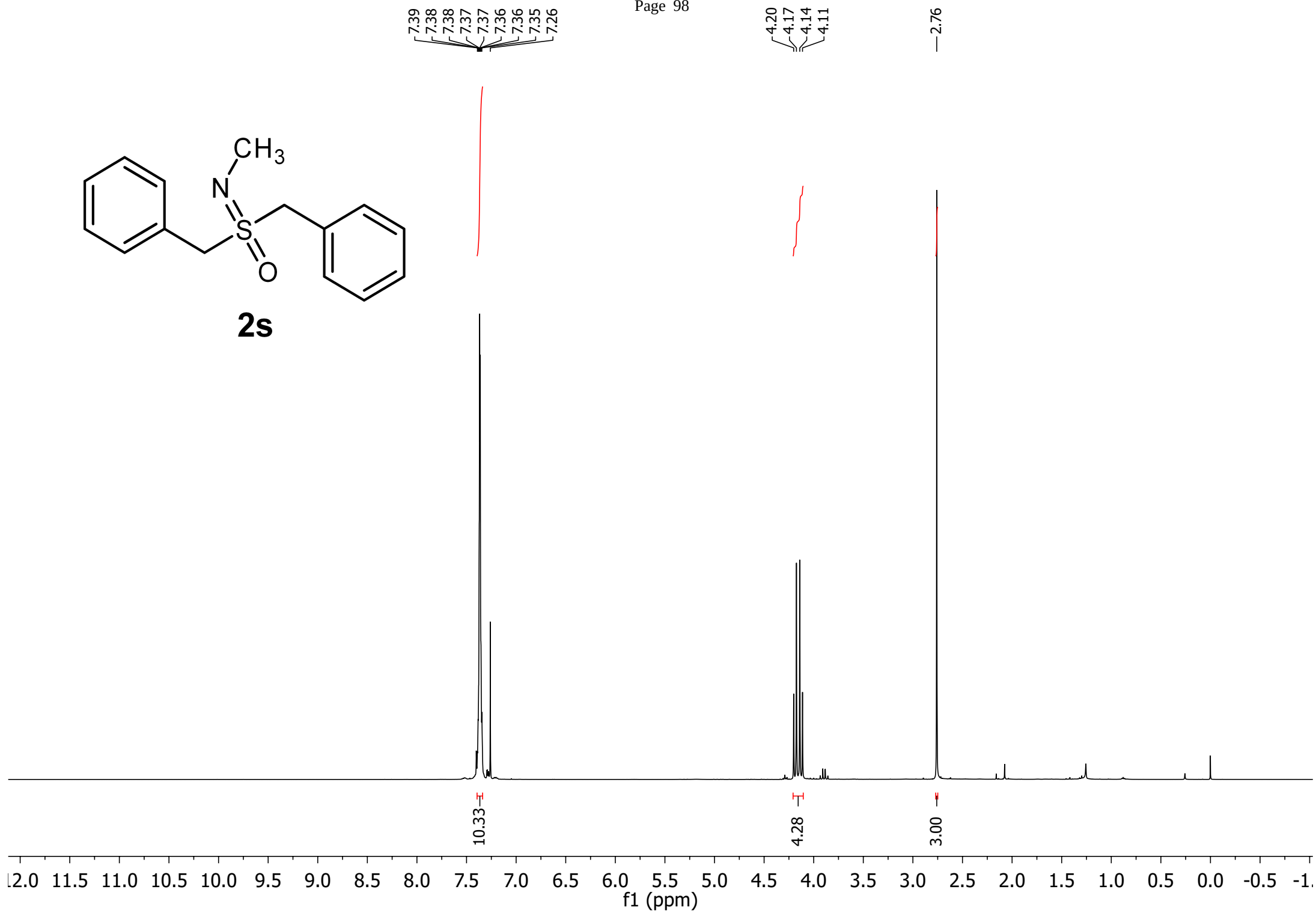


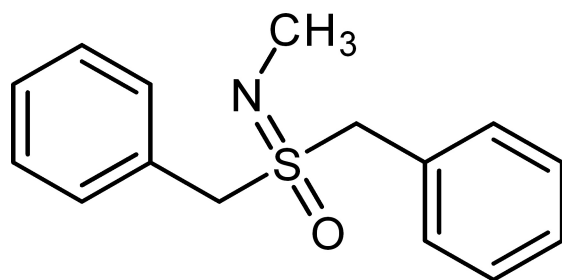








**2s**

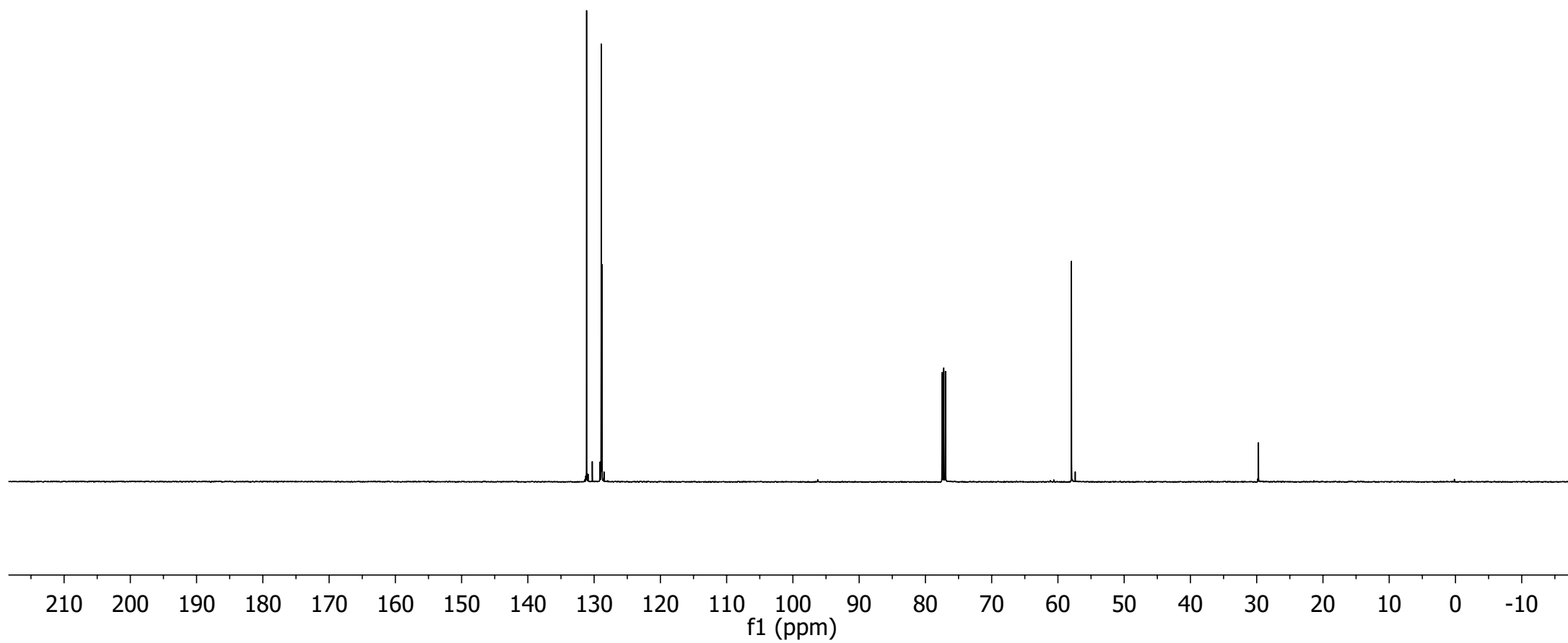
**2s**

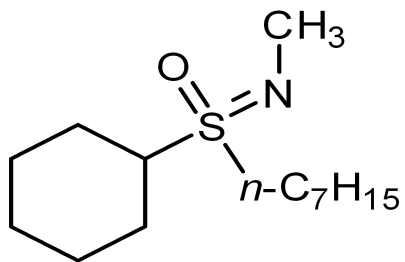
131.14
128.95
128.93
128.82

77.48
77.23
76.98

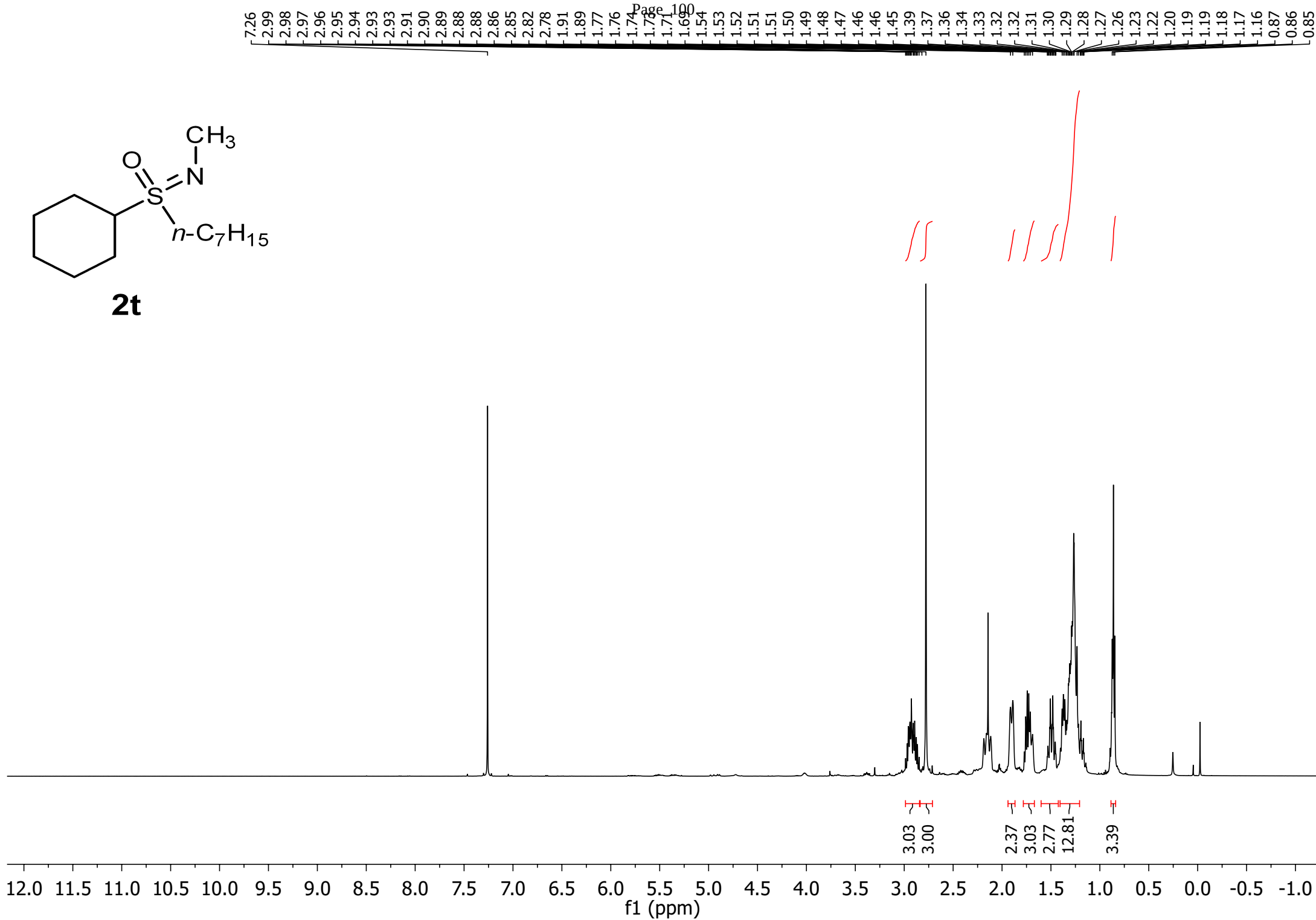
57.96

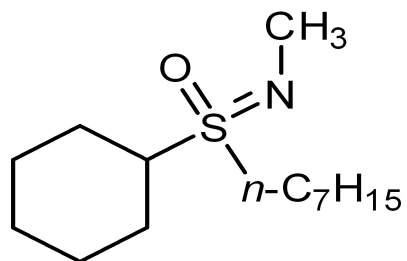
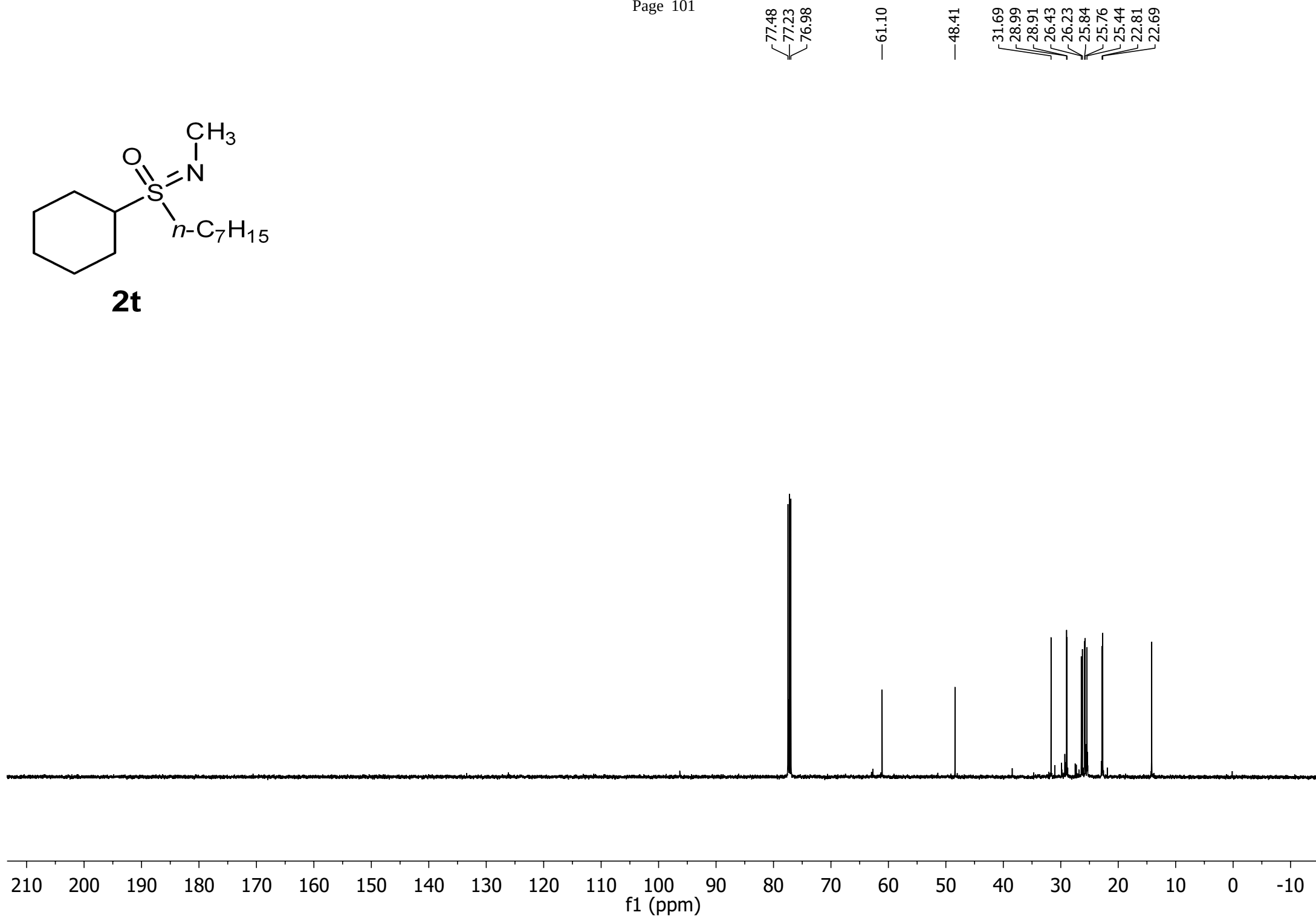
29.73

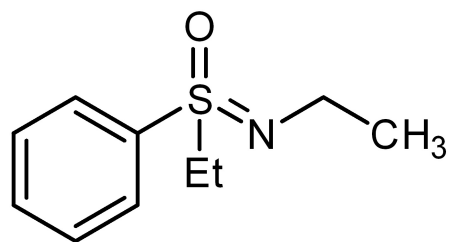




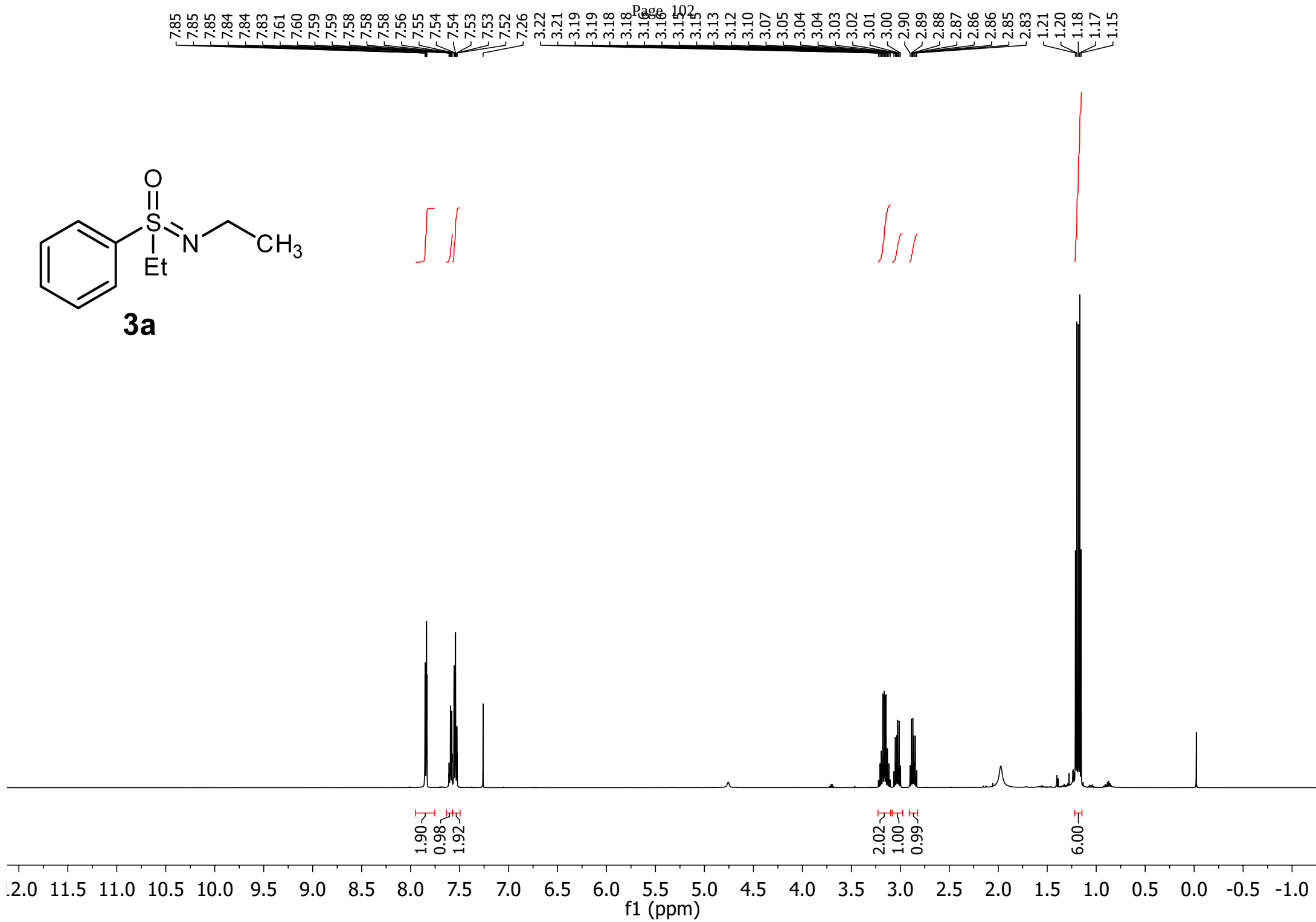
2t



**2t**



3a



137.91
132.95
129.67
129.48

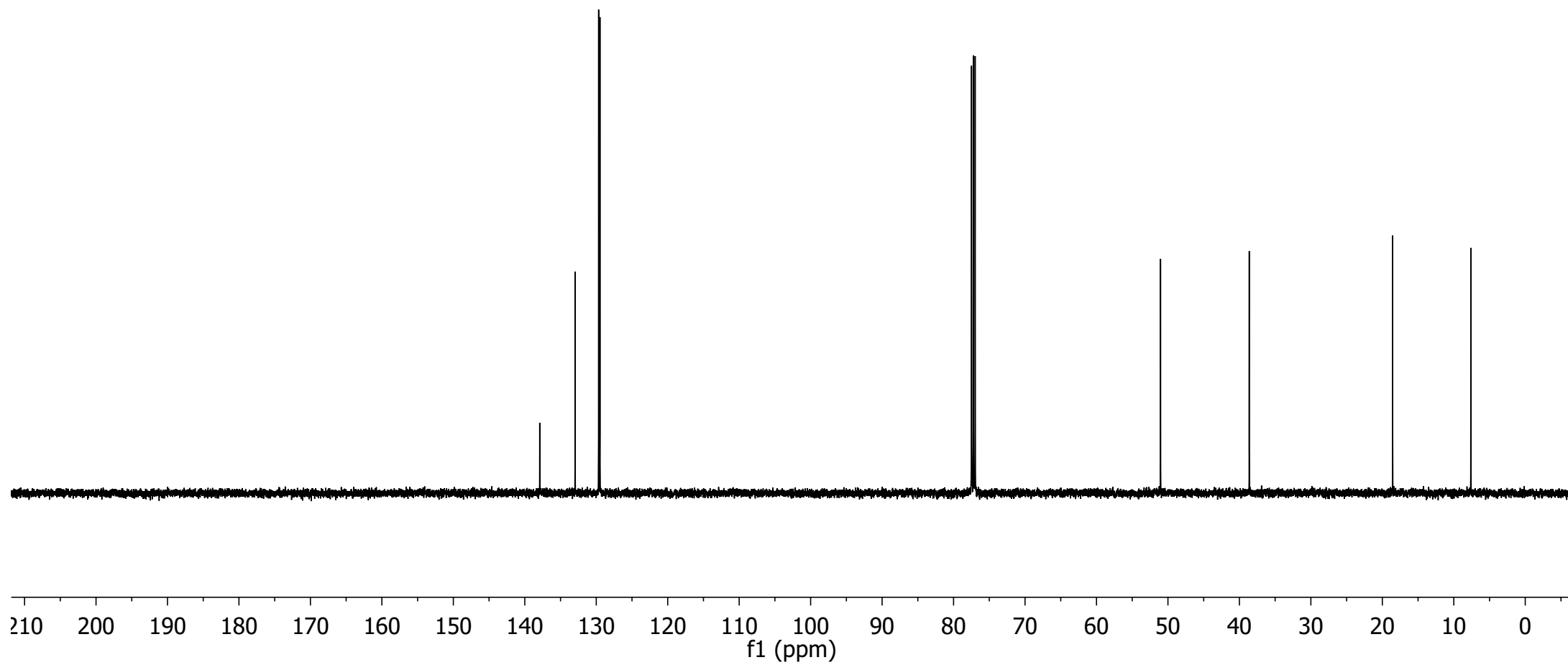
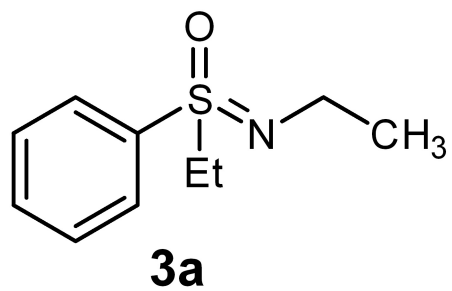
77.48
77.23
76.98

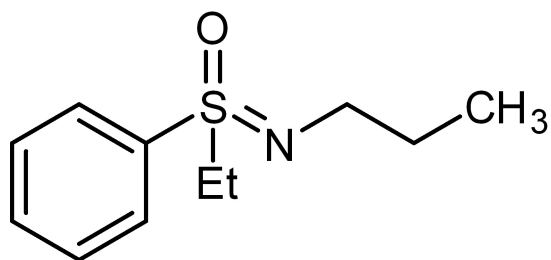
51.03

38.62

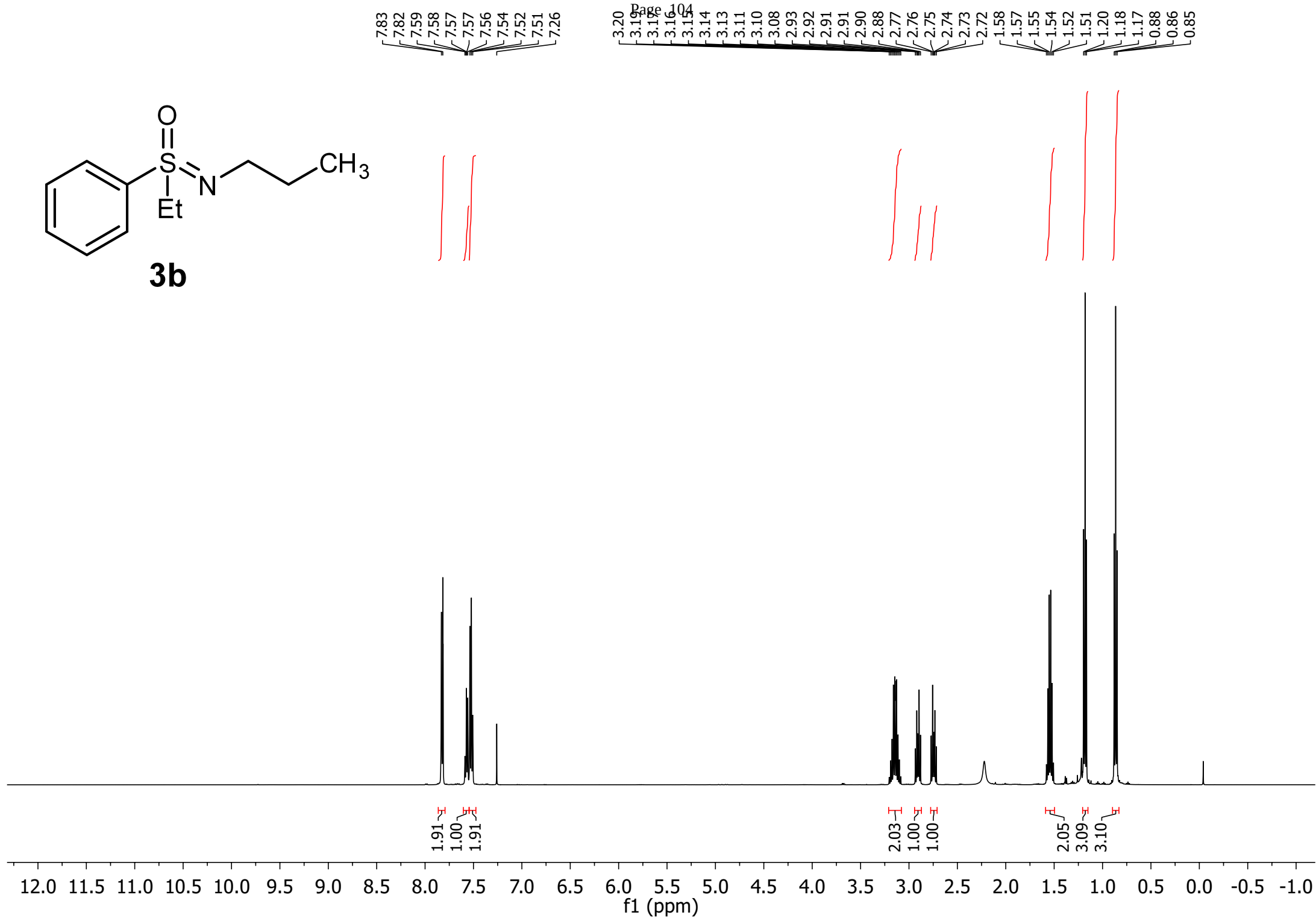
18.56

7.61





3b



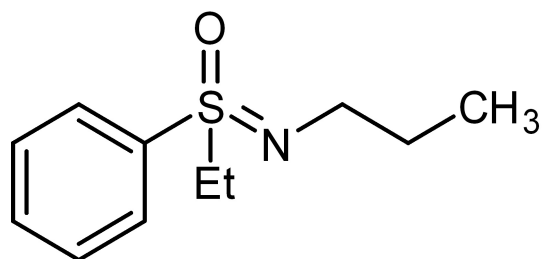
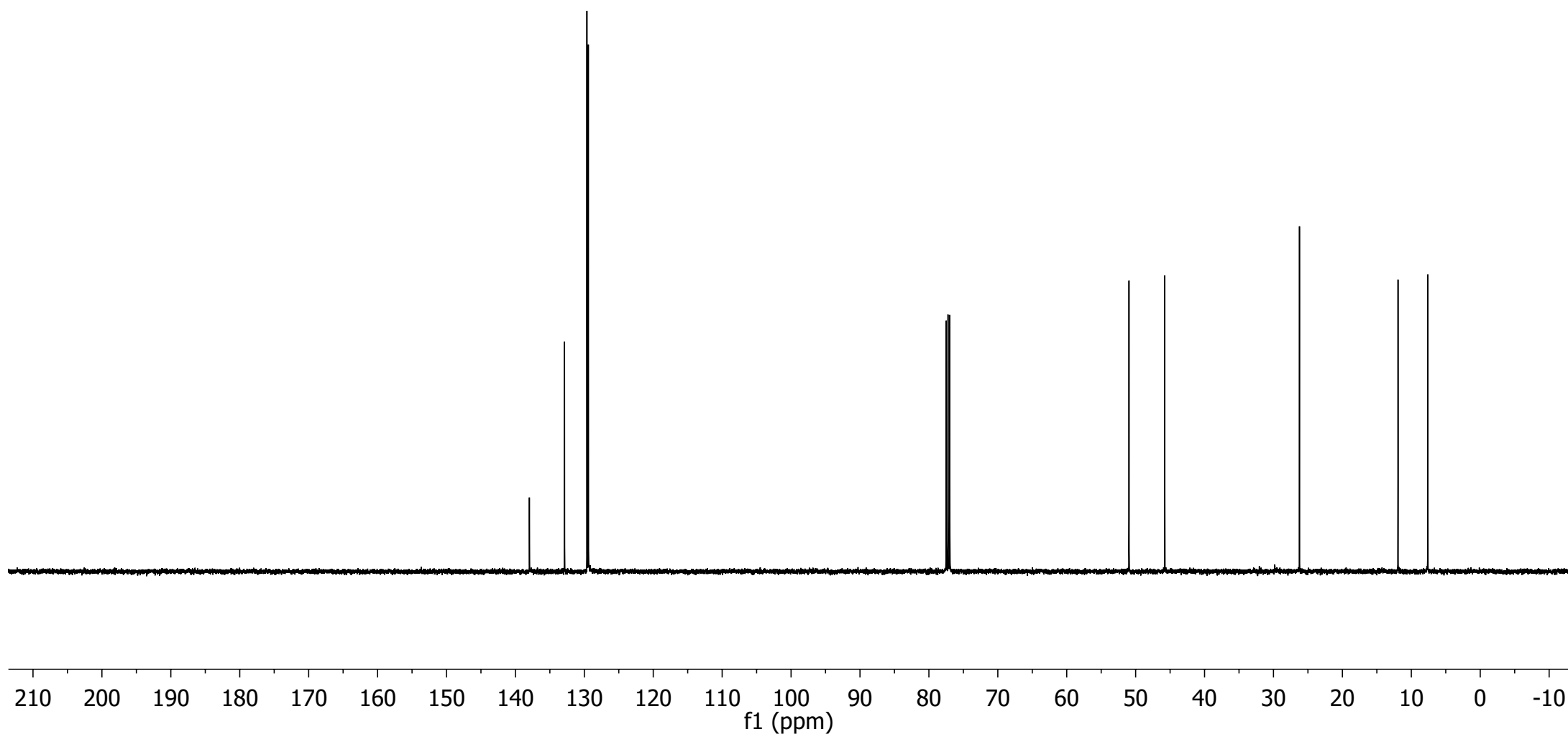
137.97
132.87
129.62
129.41

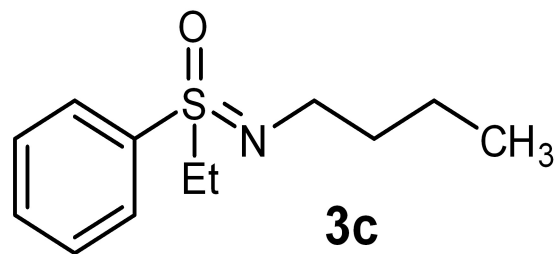
77.48
77.23
76.98

50.96
45.77

26.23

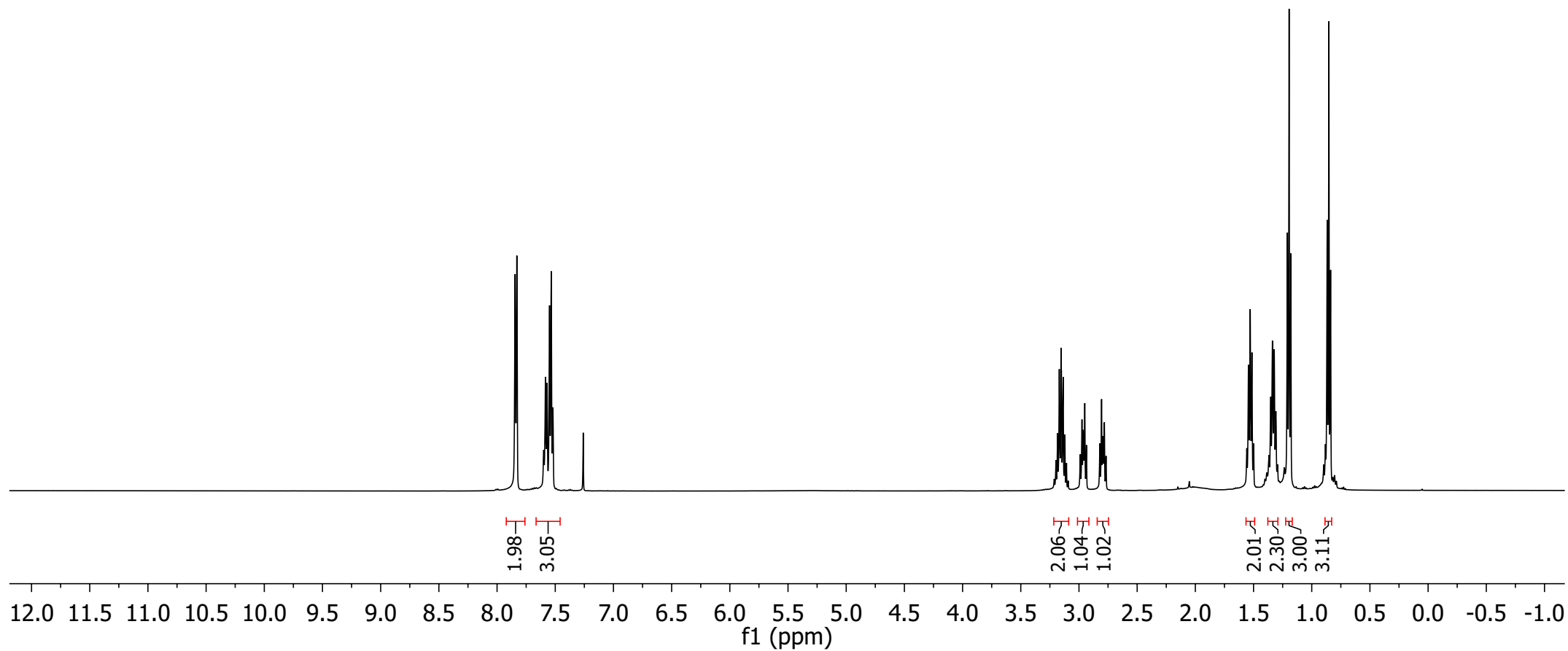
11.93
7.60

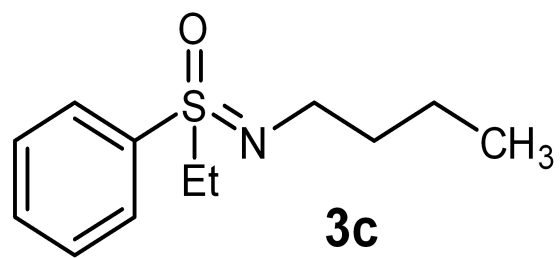
**3b**



7.84
7.83
7.60
7.58
7.57
7.55
7.53
7.52
7.26

3.21
3.20
3.18
3.17
3.15
3.15
3.14
3.12
3.11
3.09
2.99
2.97
2.96
2.96
2.95
2.94
2.82
2.81
2.80
2.79
2.78
2.77
1.56
1.54
1.53
1.51
1.50
1.37
1.35
1.34
1.32
1.31
1.29
1.21
1.19
1.18
0.87
0.85
0.84





—138.09
—132.87
—129.66
—129.43

—77.48
—77.23
—76.98

—51.01

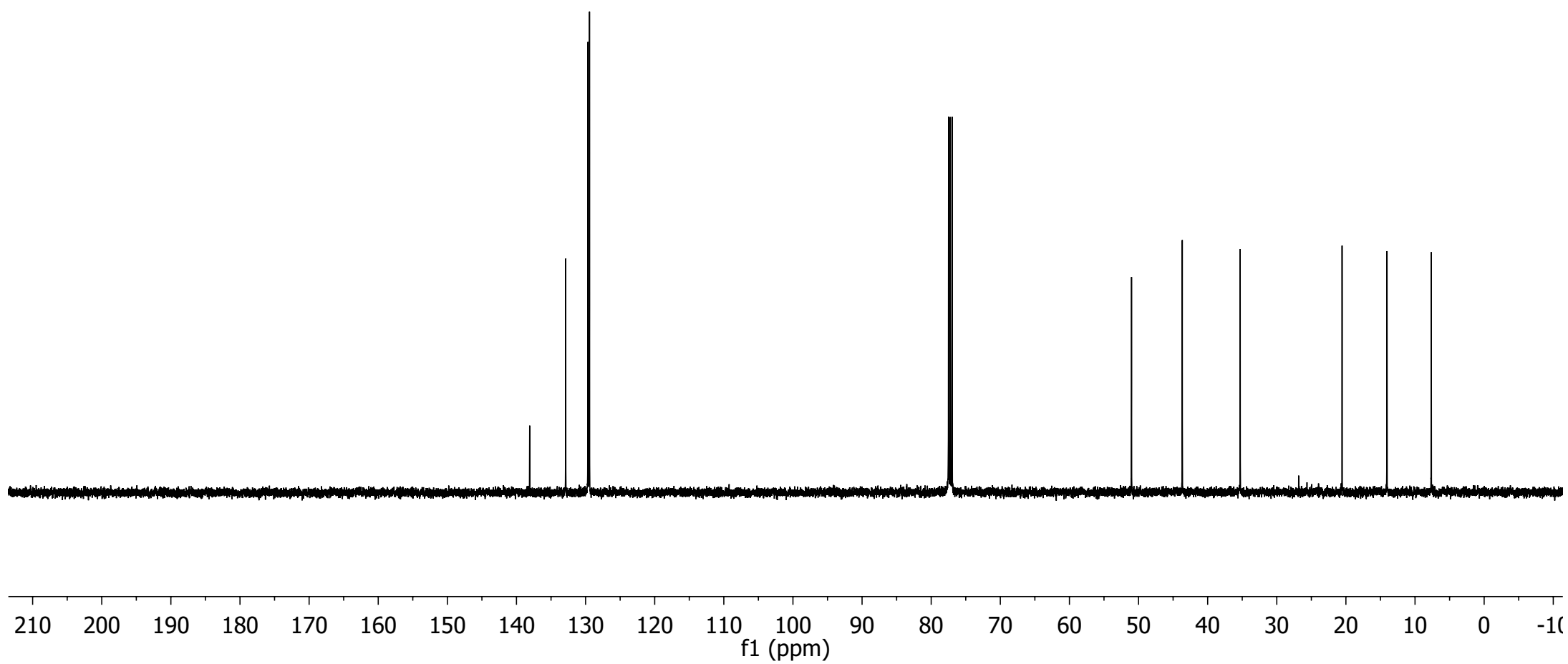
—43.67

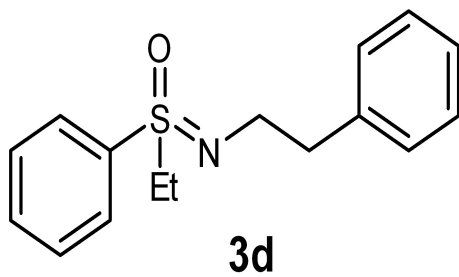
—35.29

—20.55

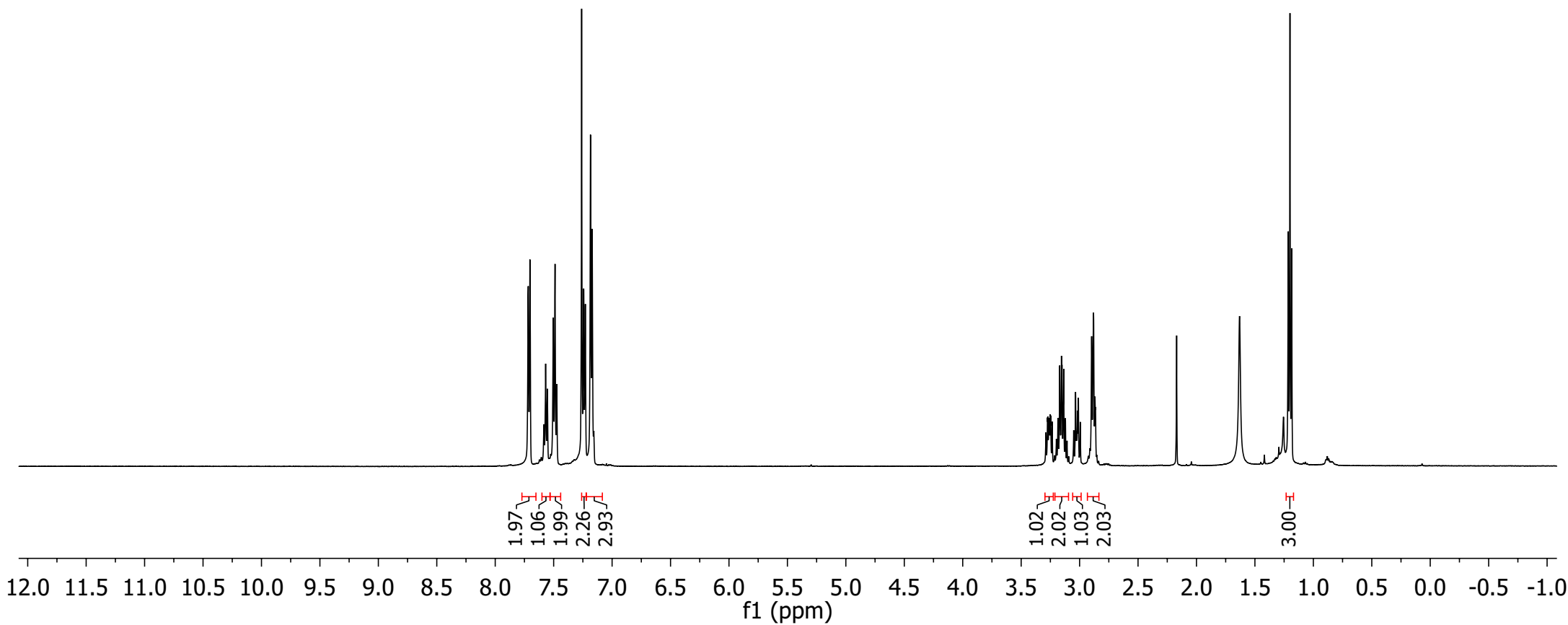
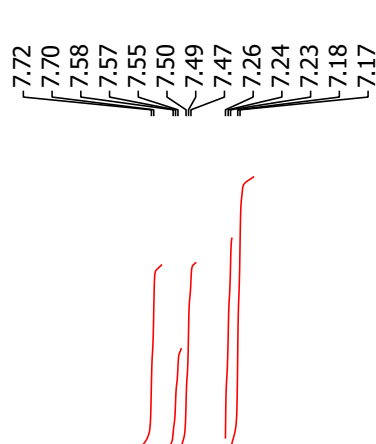
—14.05

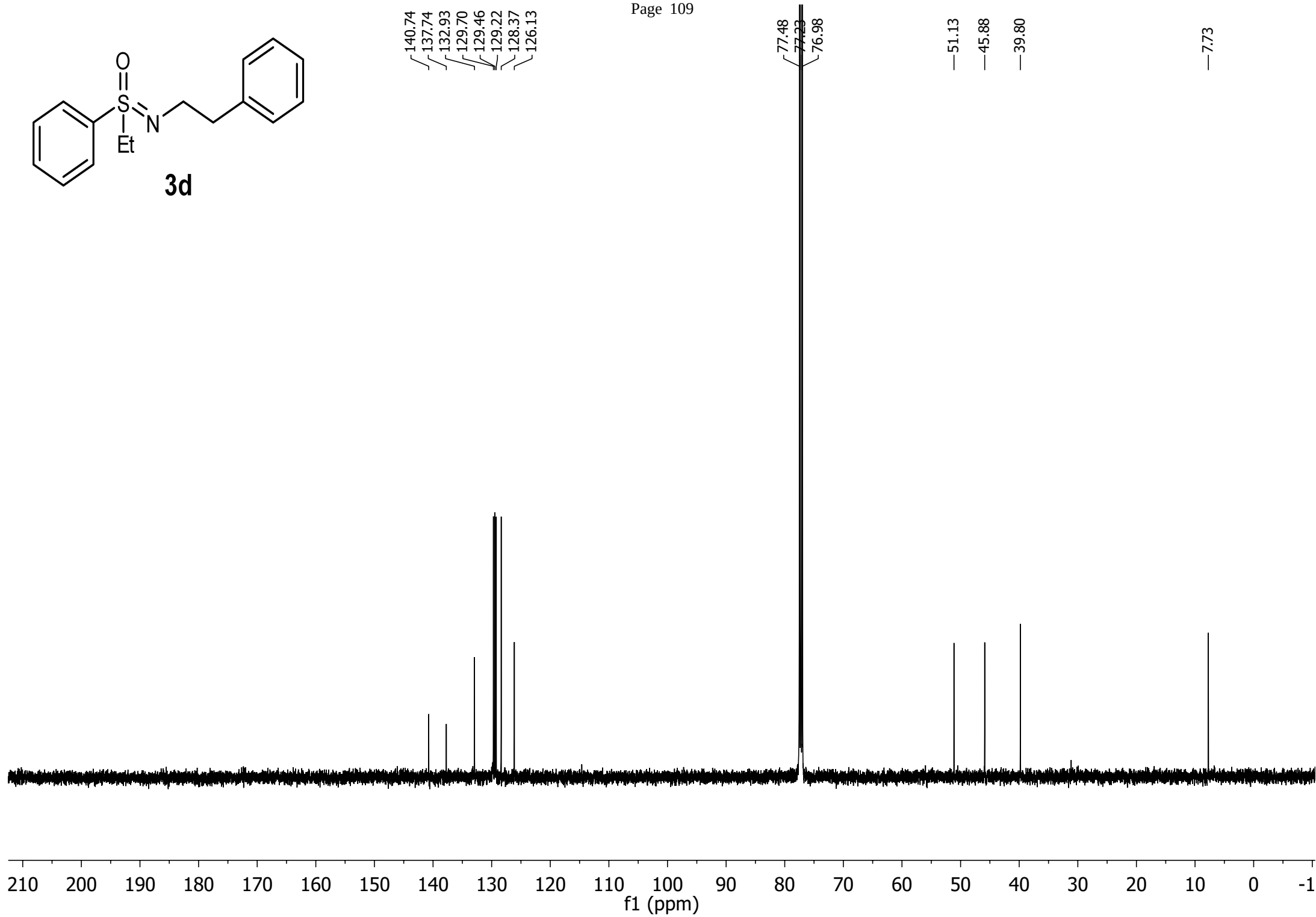
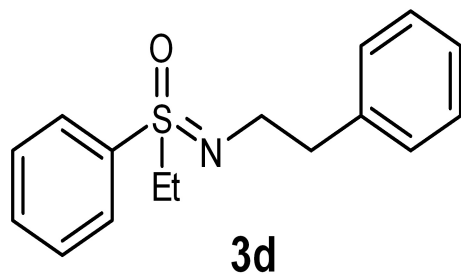
—7.65

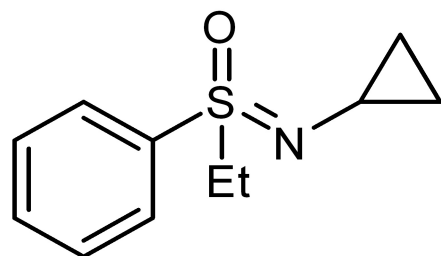




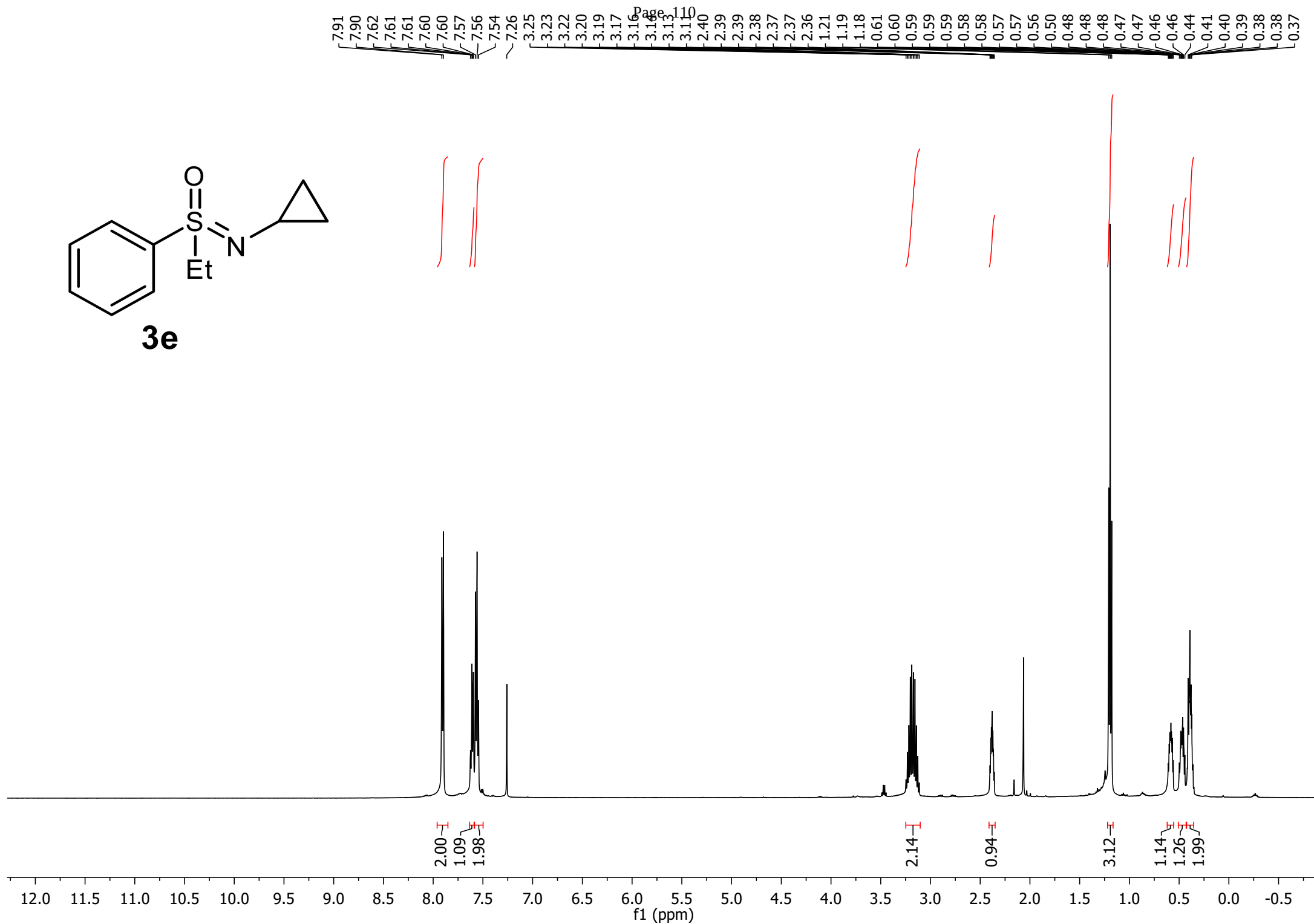
3d

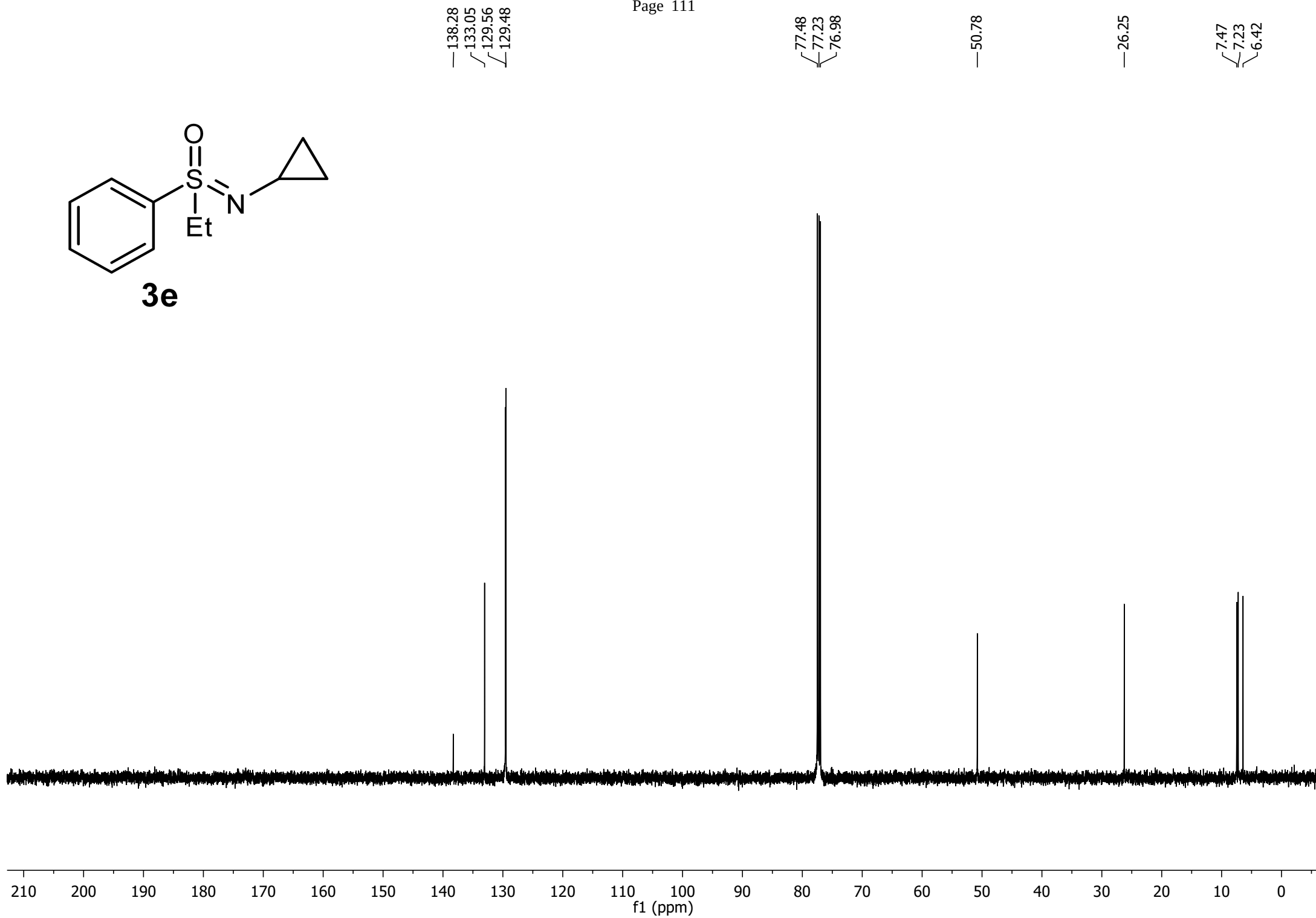
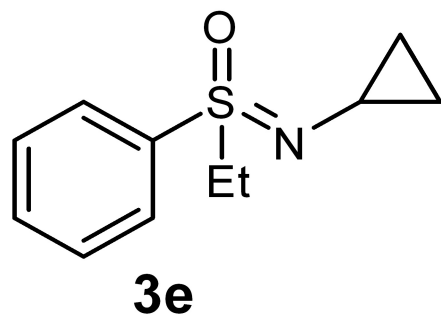


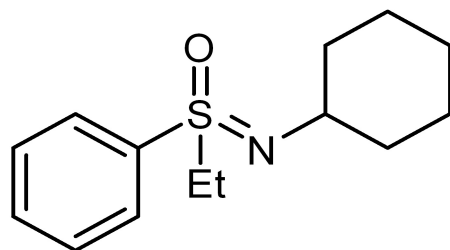
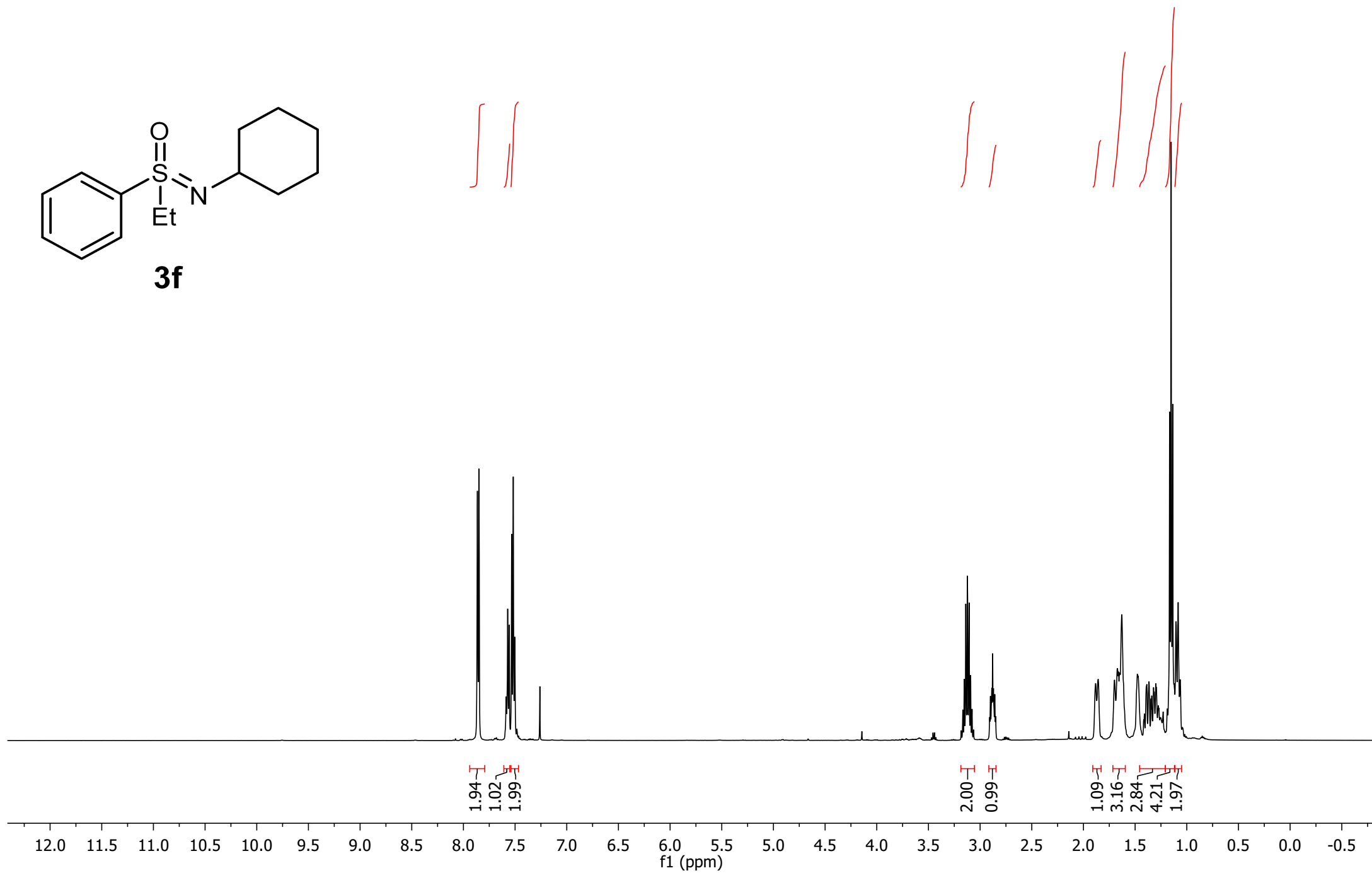


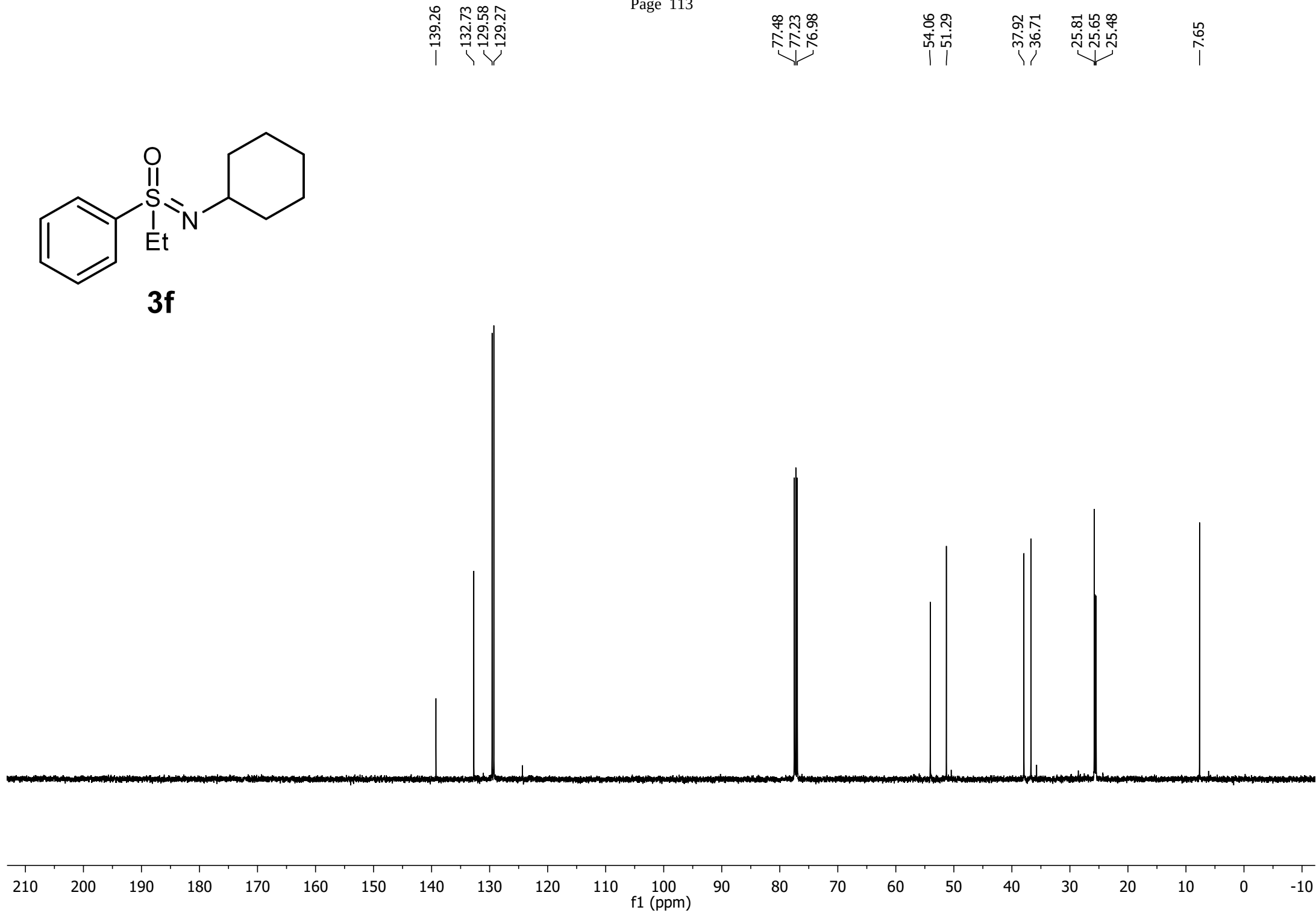
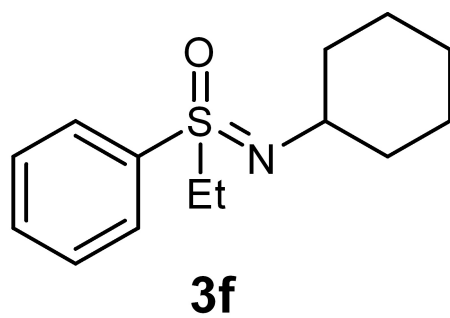


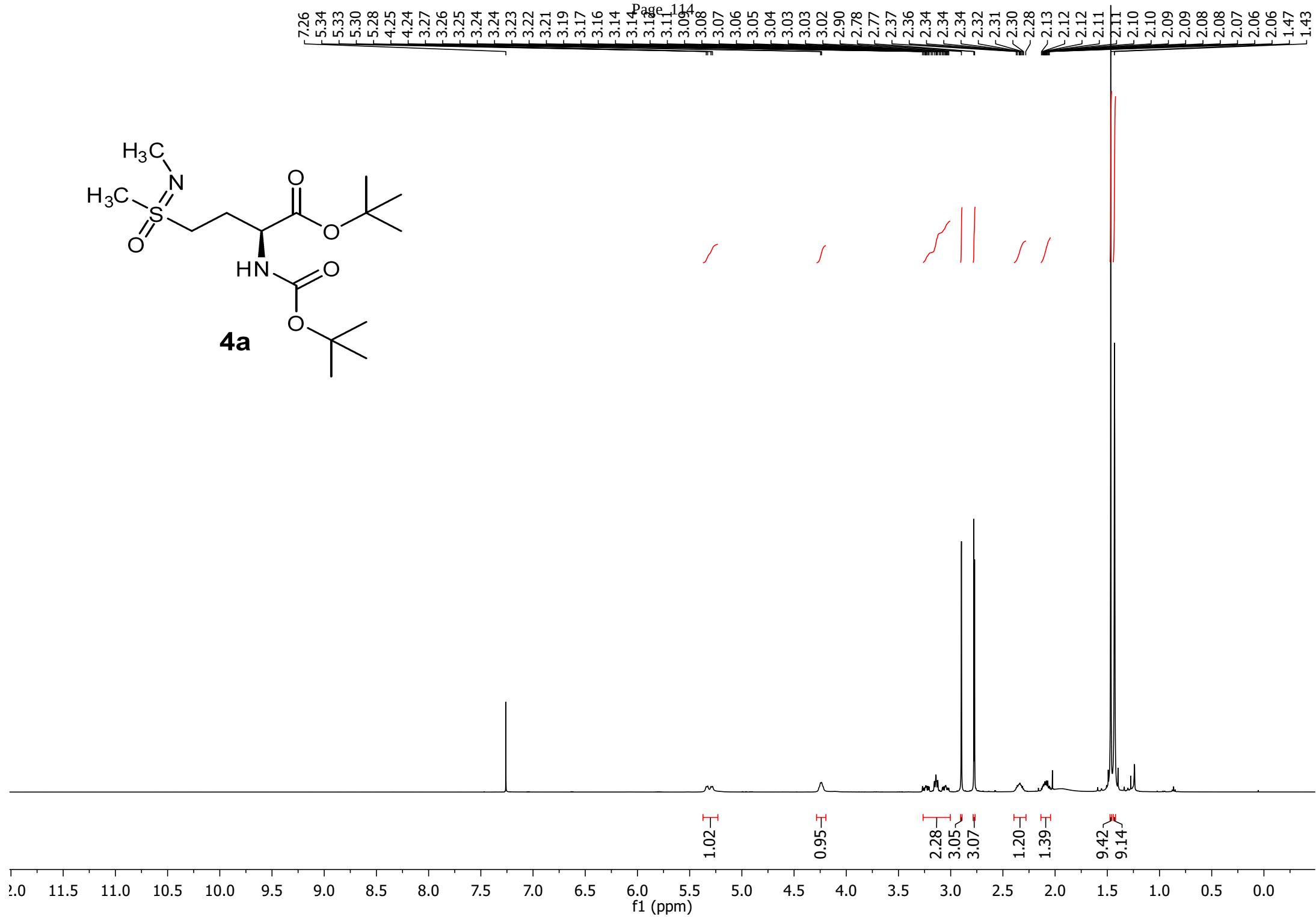
3e

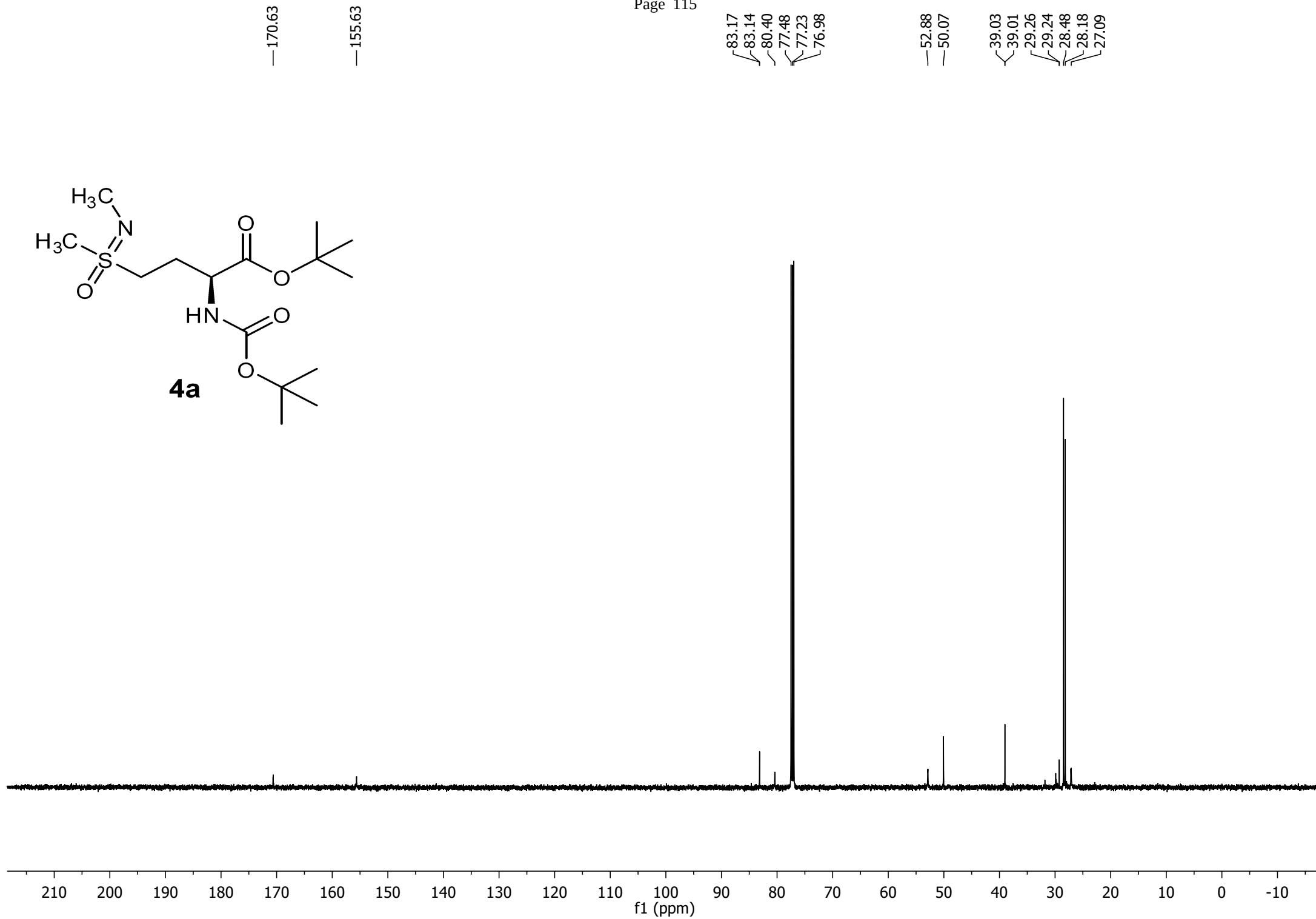
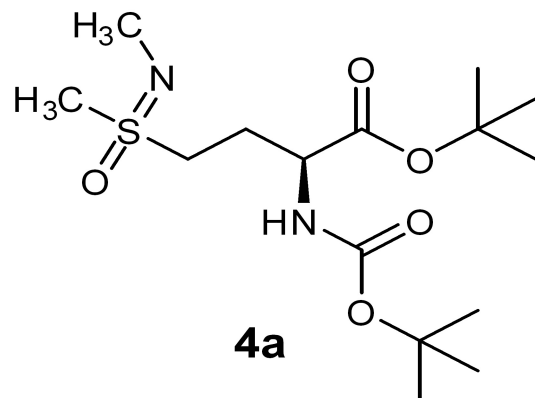


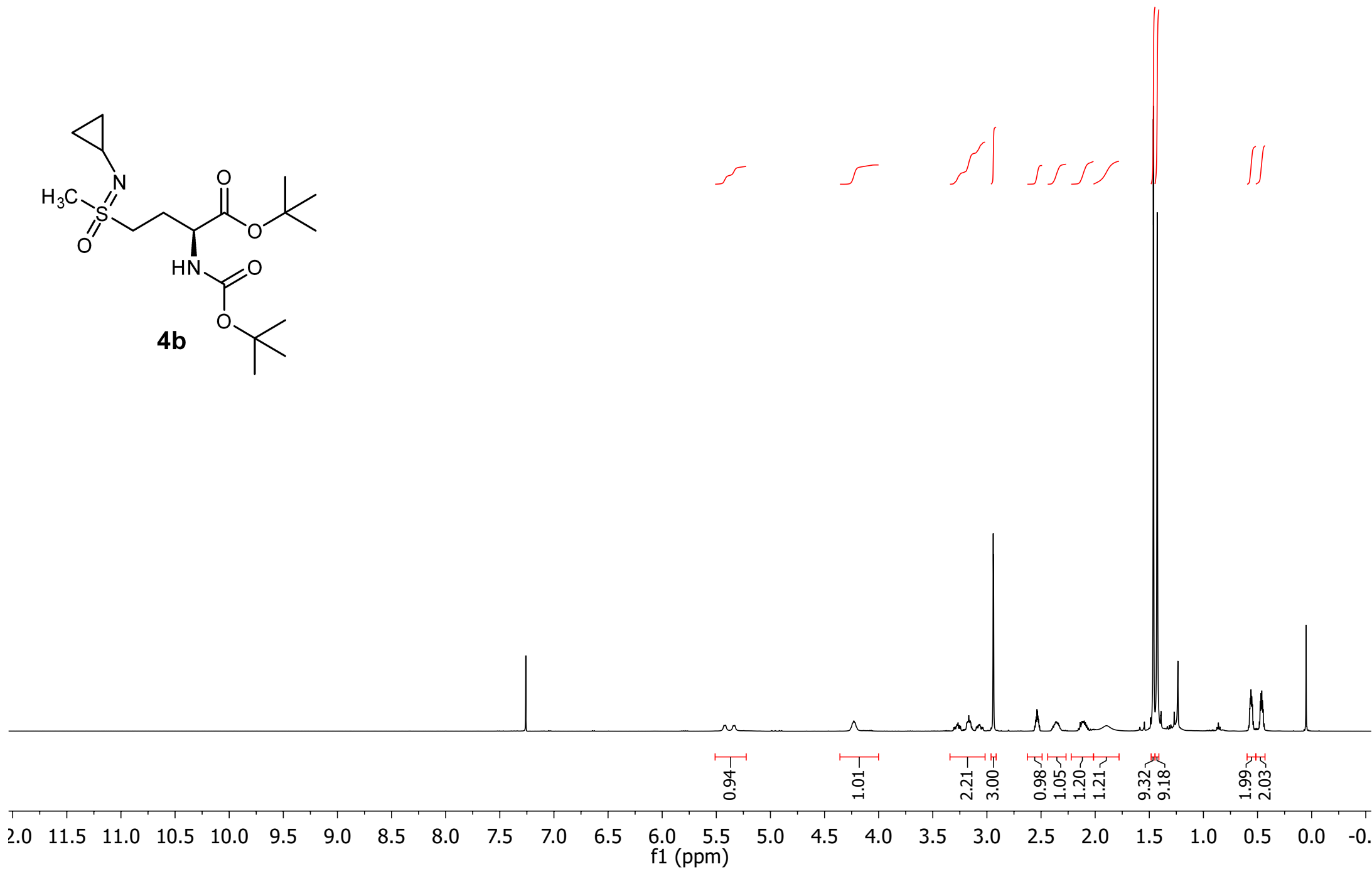


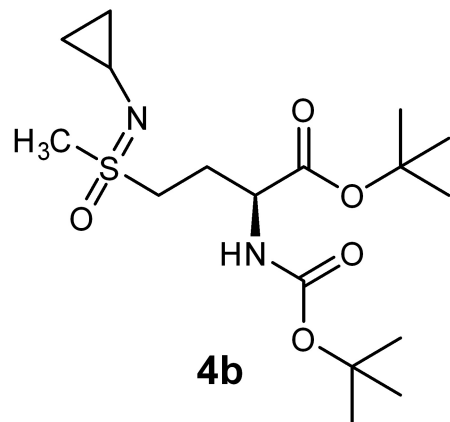
**3f**











170.67
170.57

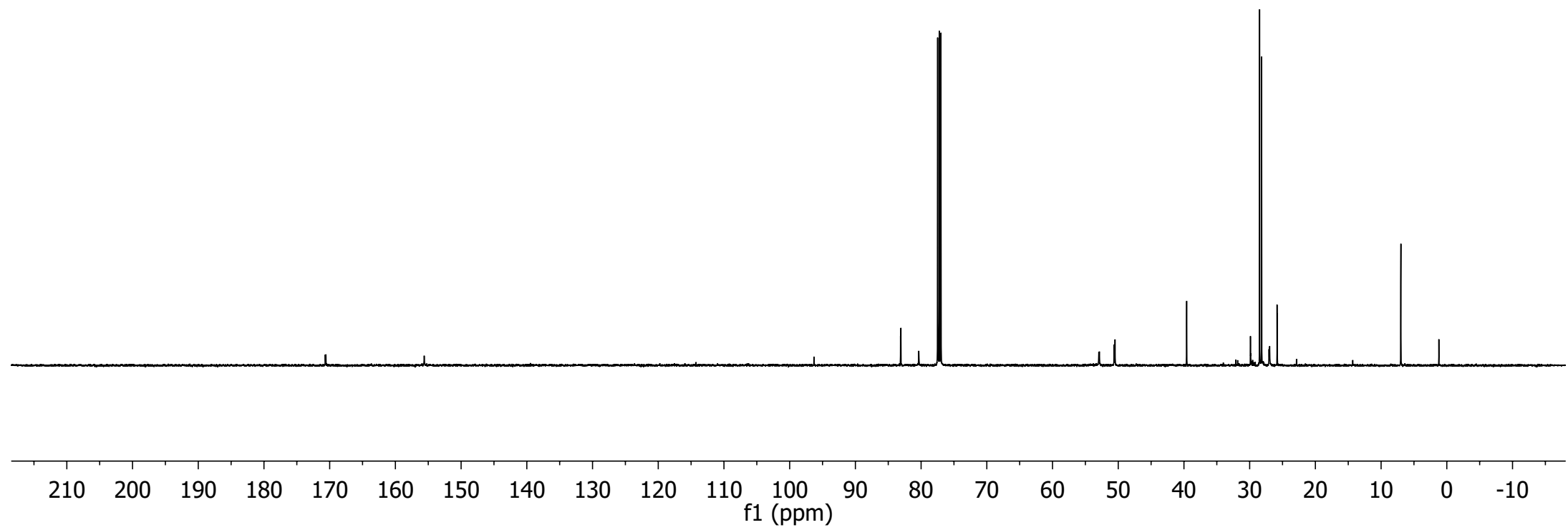
155.61

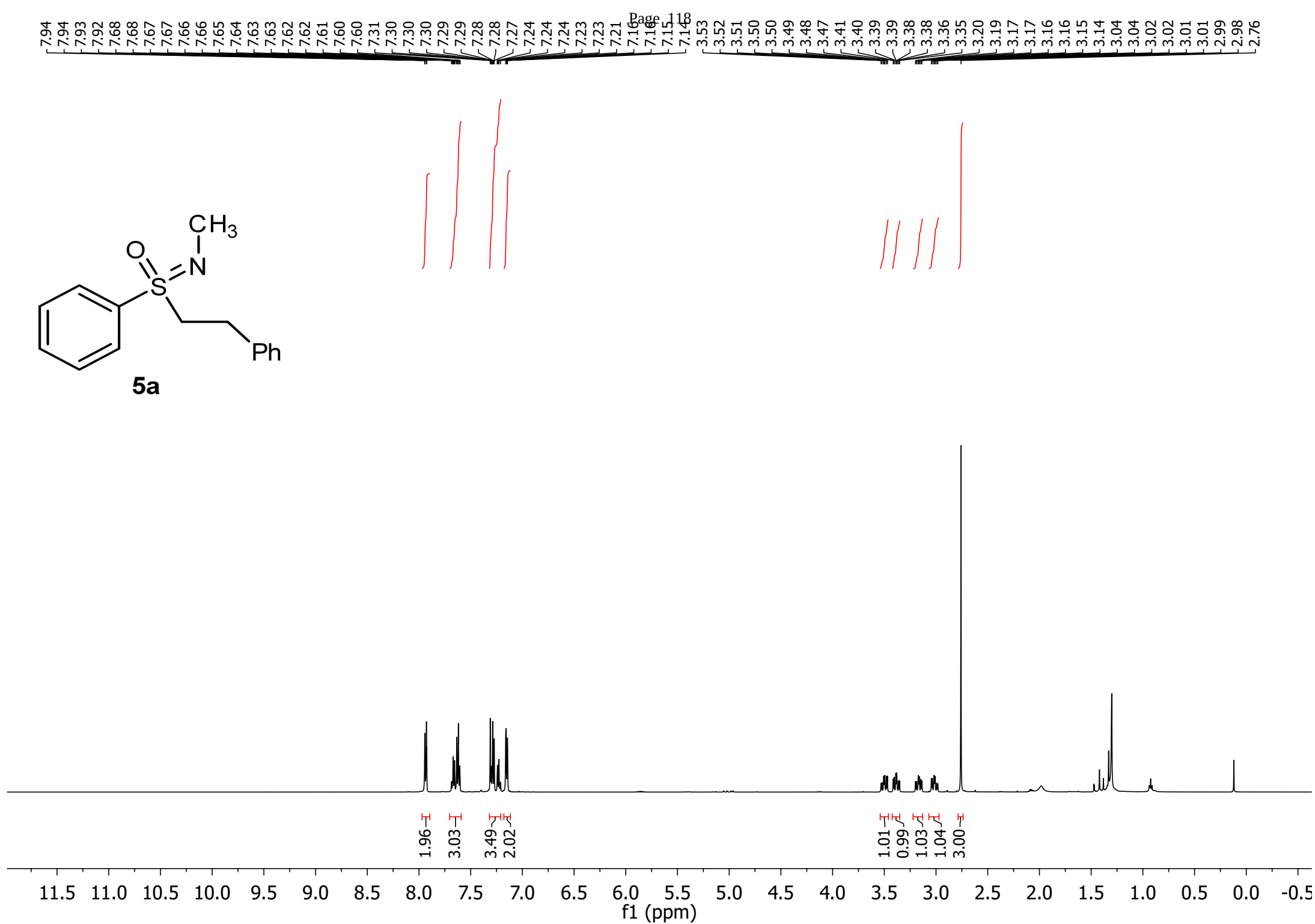
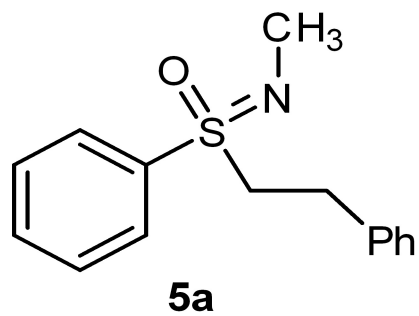
83.13
83.07
80.35
77.49
77.23
76.98

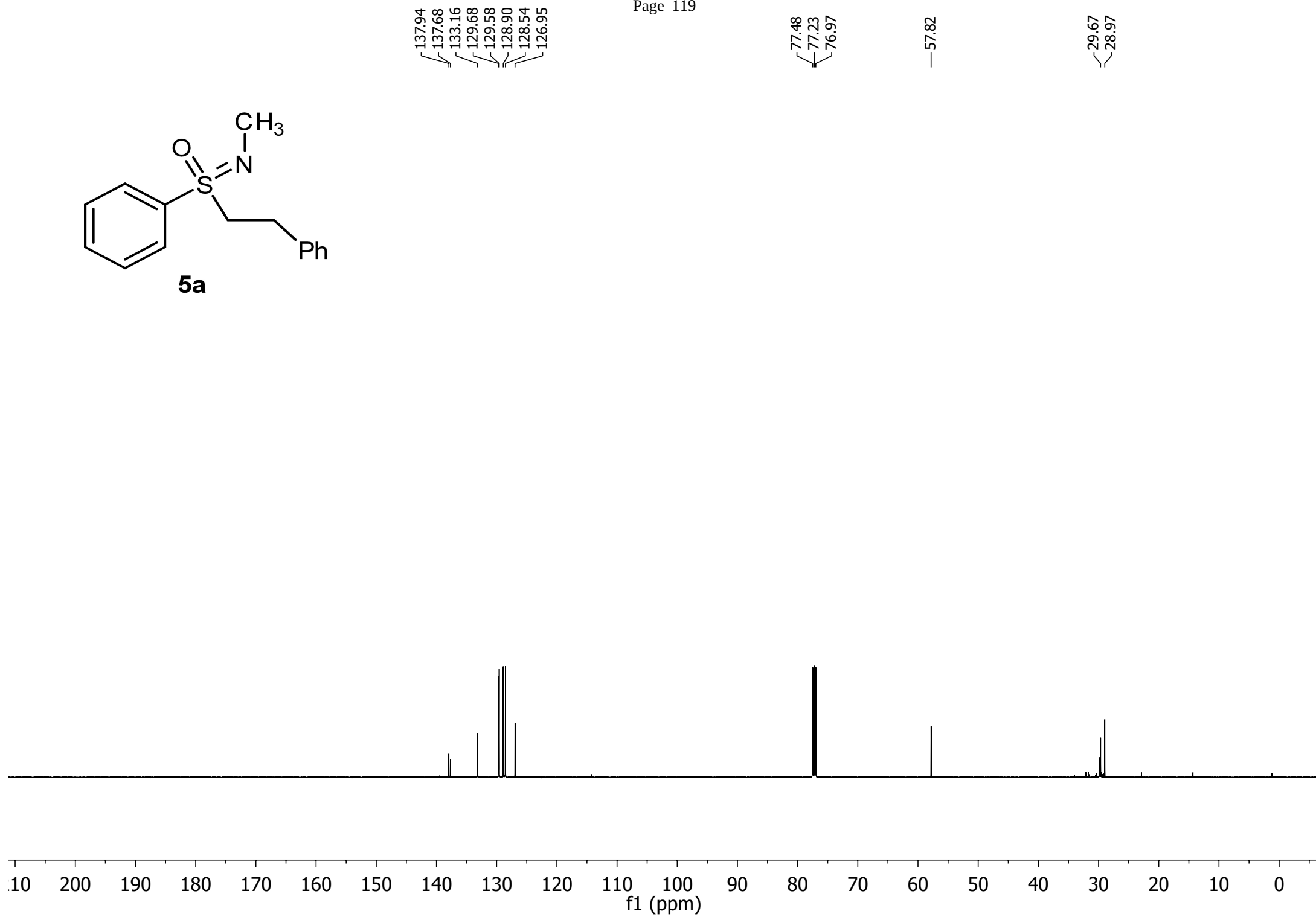
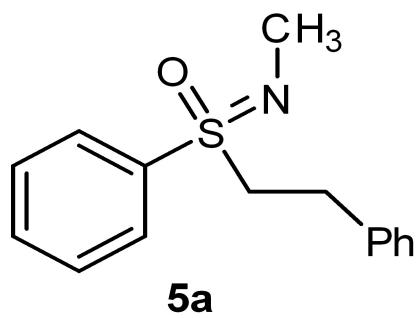
52.96
52.87
50.62
50.49

39.59
29.88
28.49
28.18
27.05
26.96
25.83
25.79

6.98







7) Mass Spectroscopy for HN-Sulfoximines

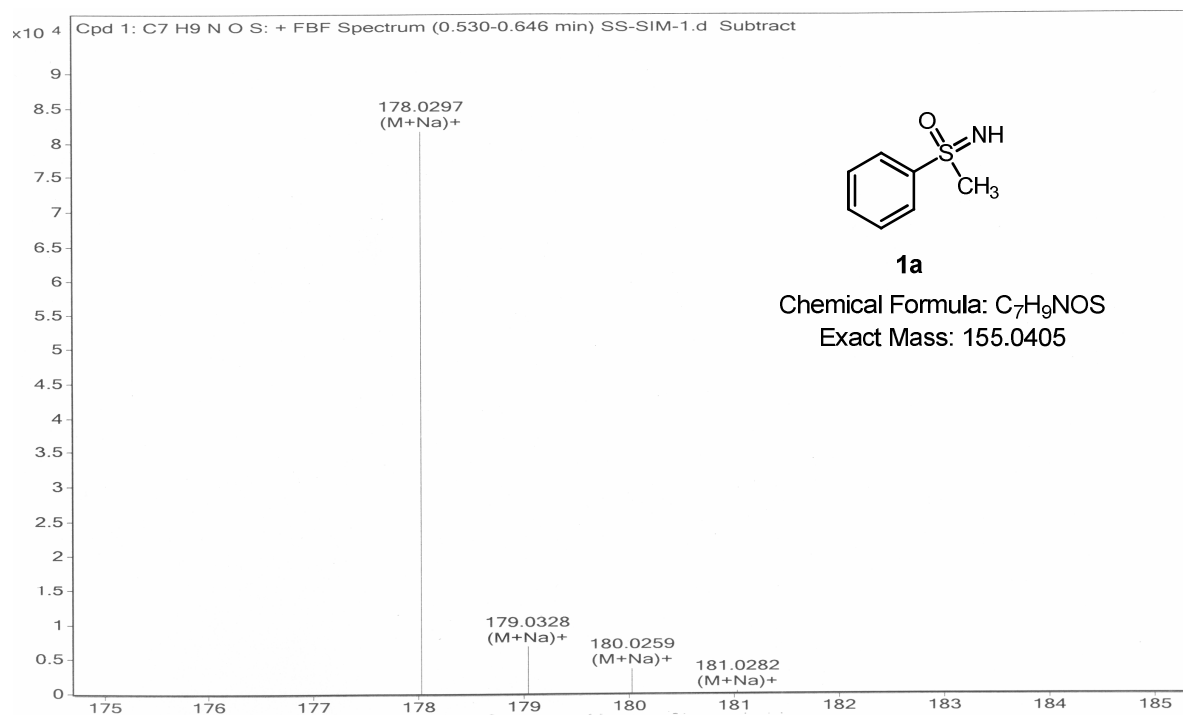


Figure 7.1 Mass Spectroscopy of product **1a**

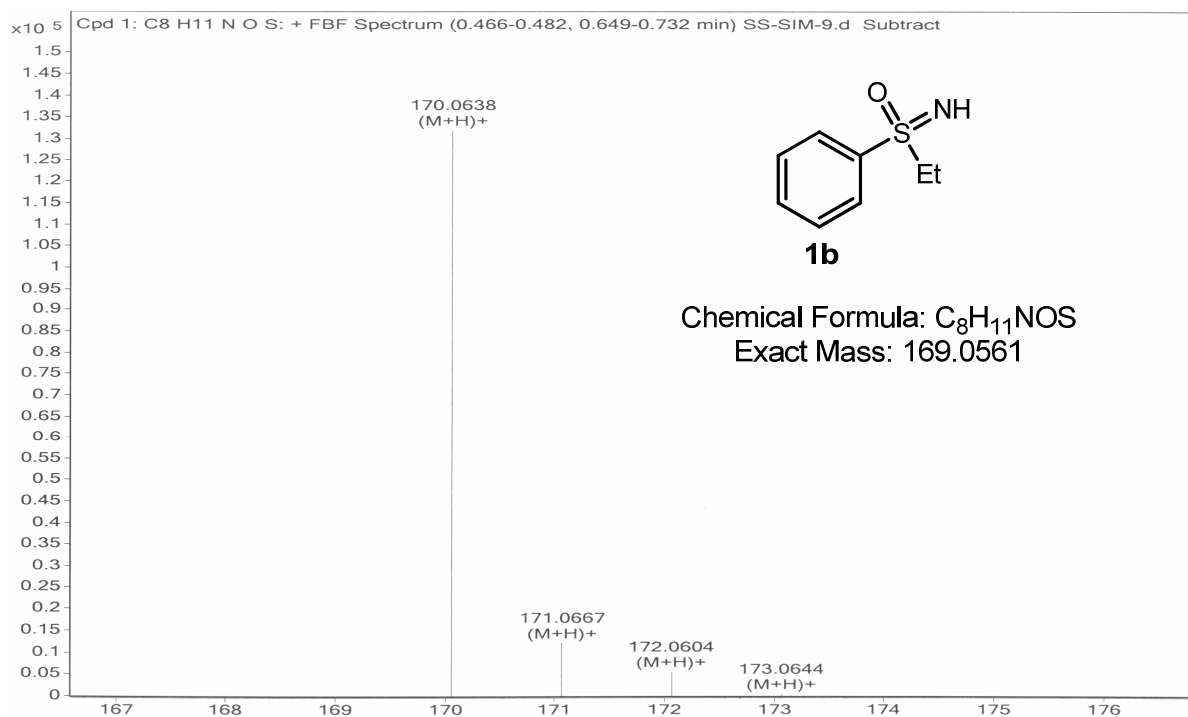


Figure 7.2 Mass Spectroscopy of product **1b**

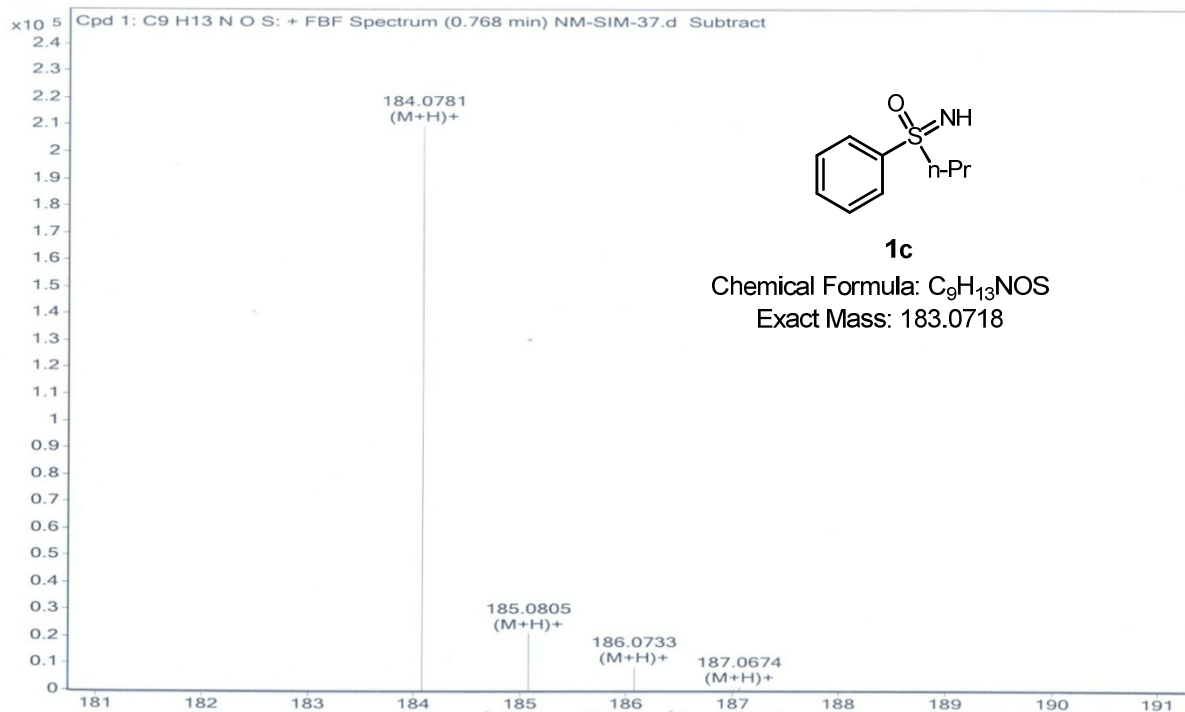


Figure 7.3 Mass Spectroscopy of product **1c**

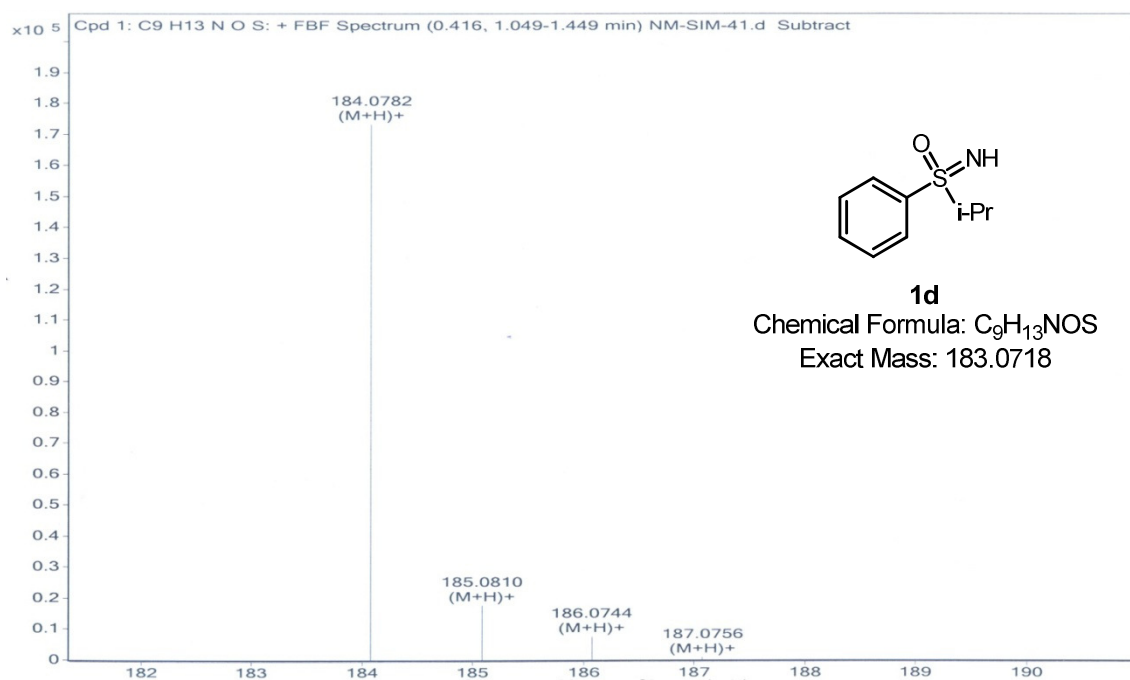
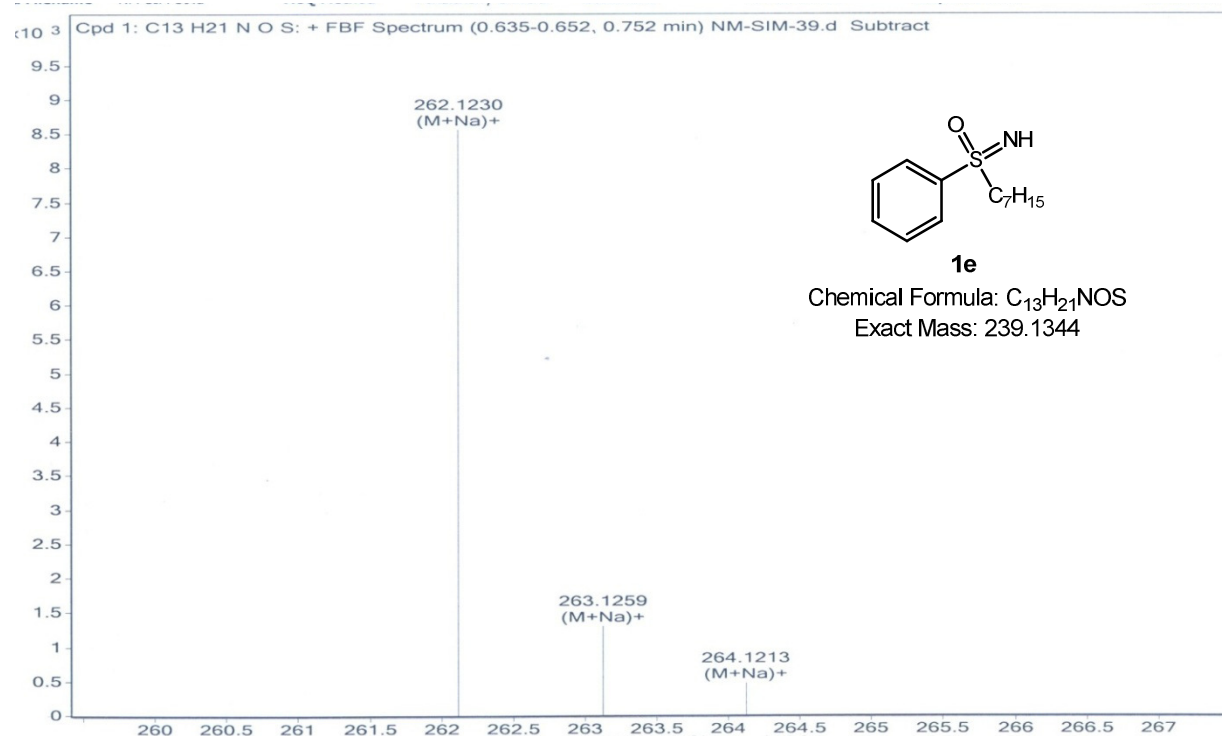
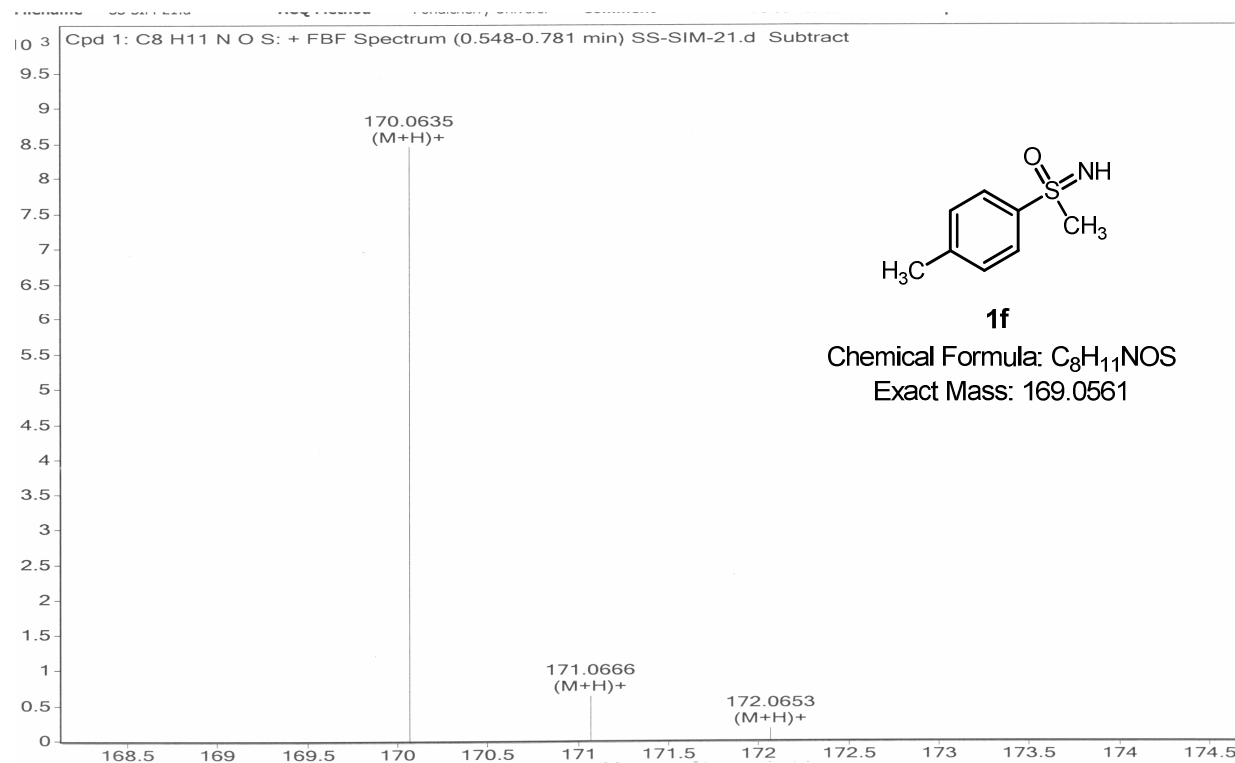


Figure 7.4 Mass Spectroscopy of product **1d**

**Figure 7.5** Mass Spectroscopy of product **1e****Figure 7.6** Mass Spectroscopy of product **1f**

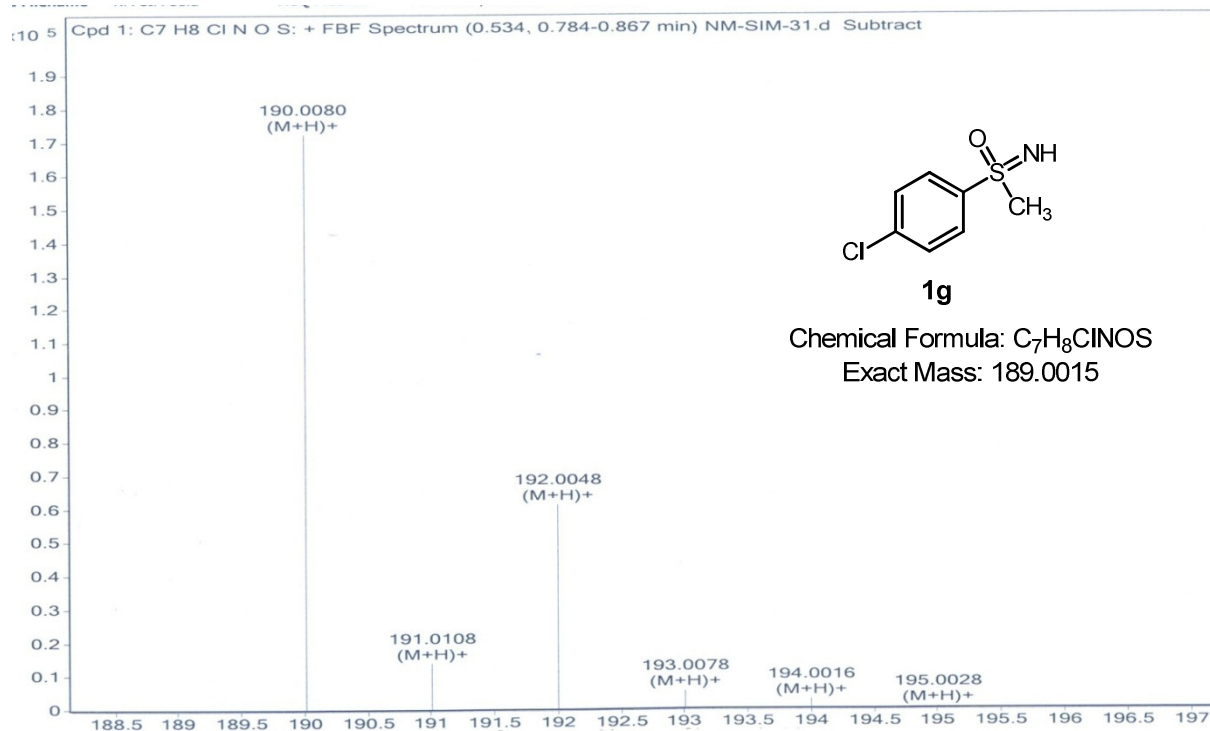


Figure 7.7 Mass Spectroscopy of product **1g**

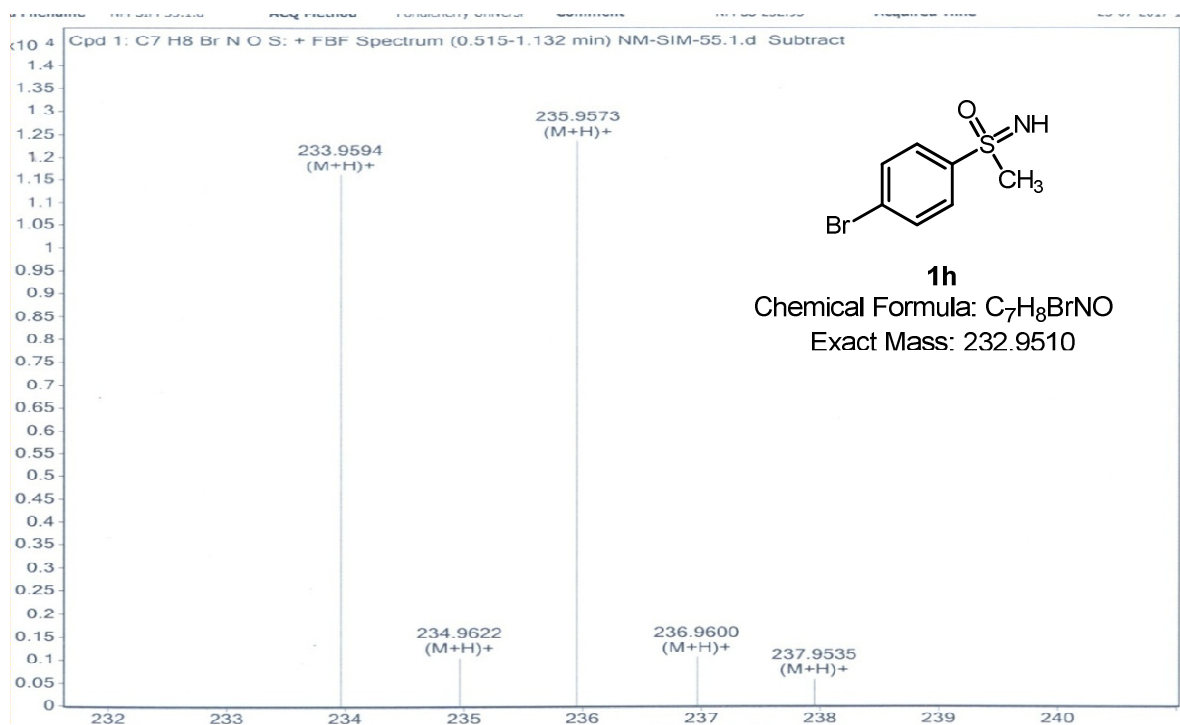
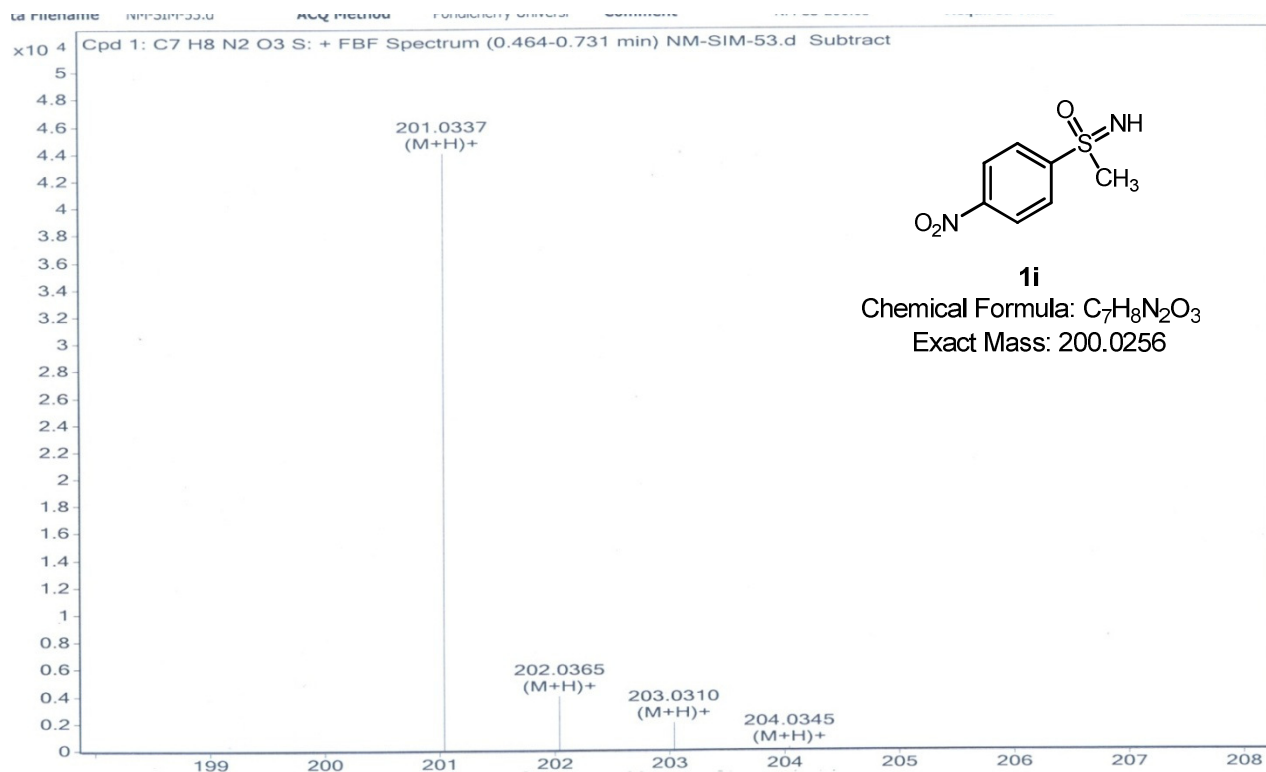
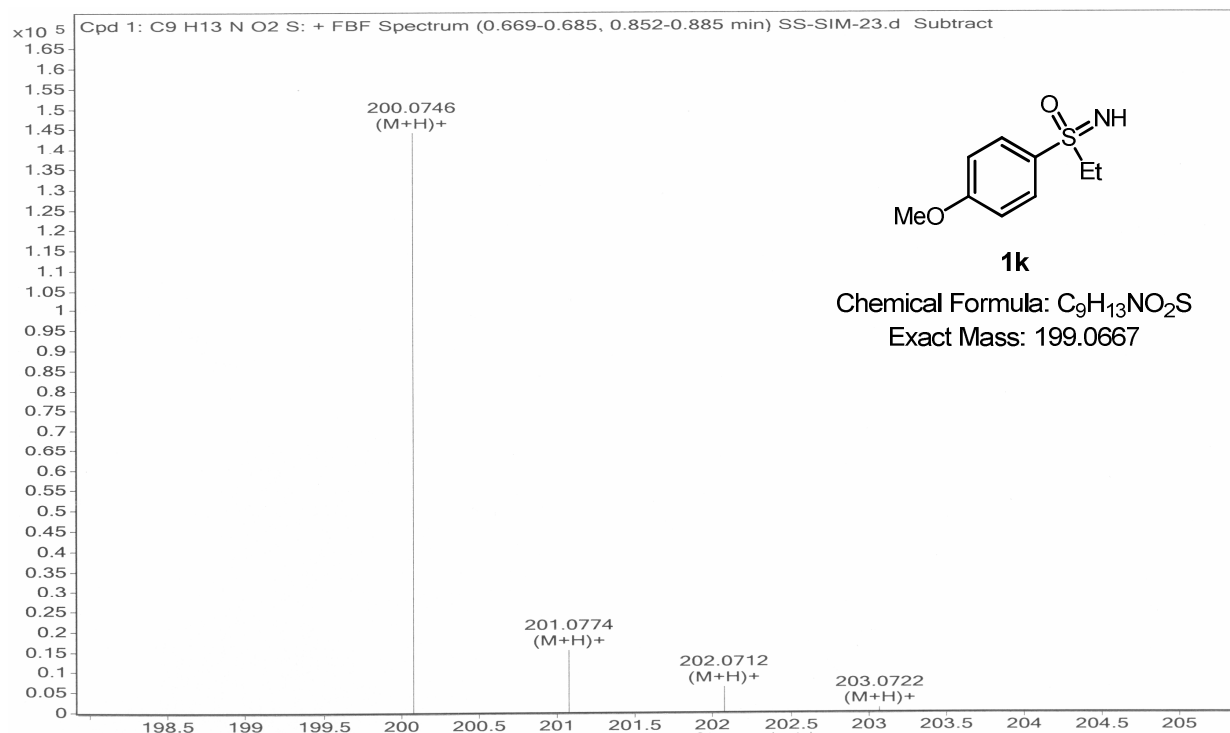
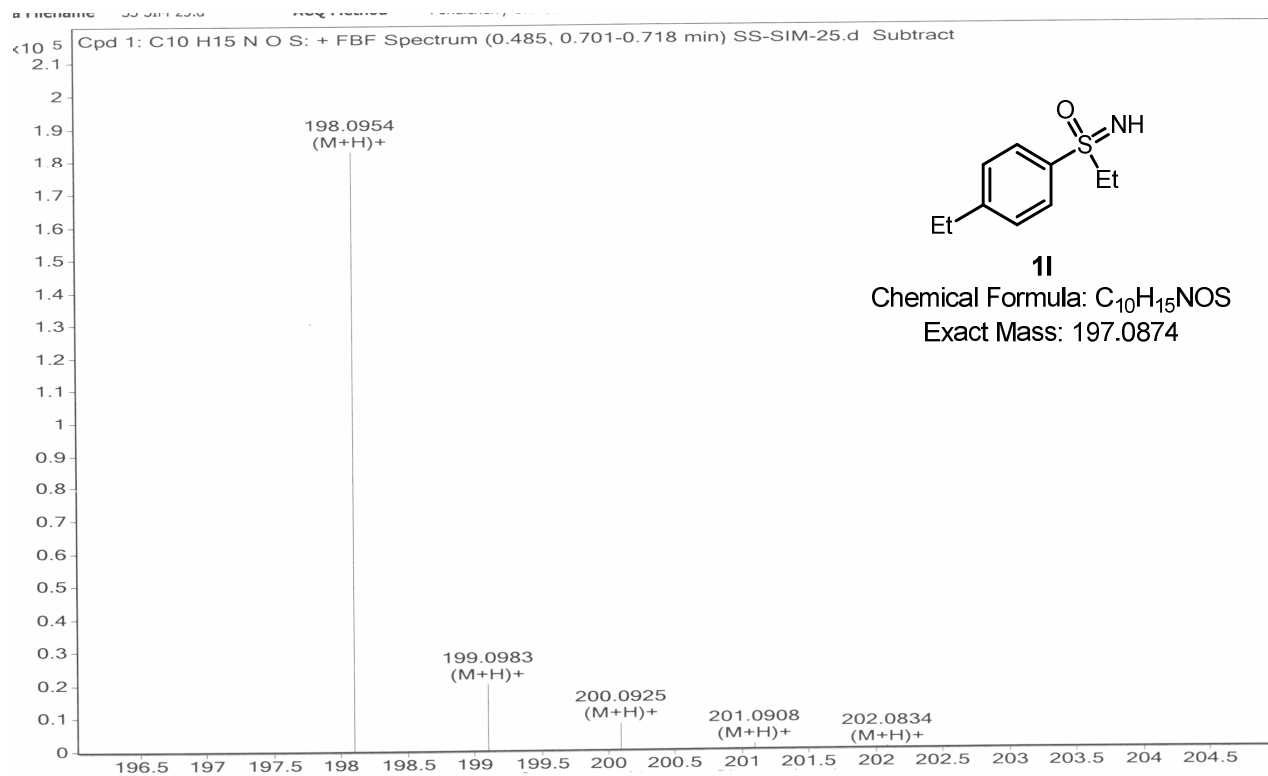


Figure 7.8 Mass Spectroscopy of product **1h**



Figure 7.11 Mass Spectroscopy of product **1k**Figure 7.12 Mass Spectroscopy of product **1l**

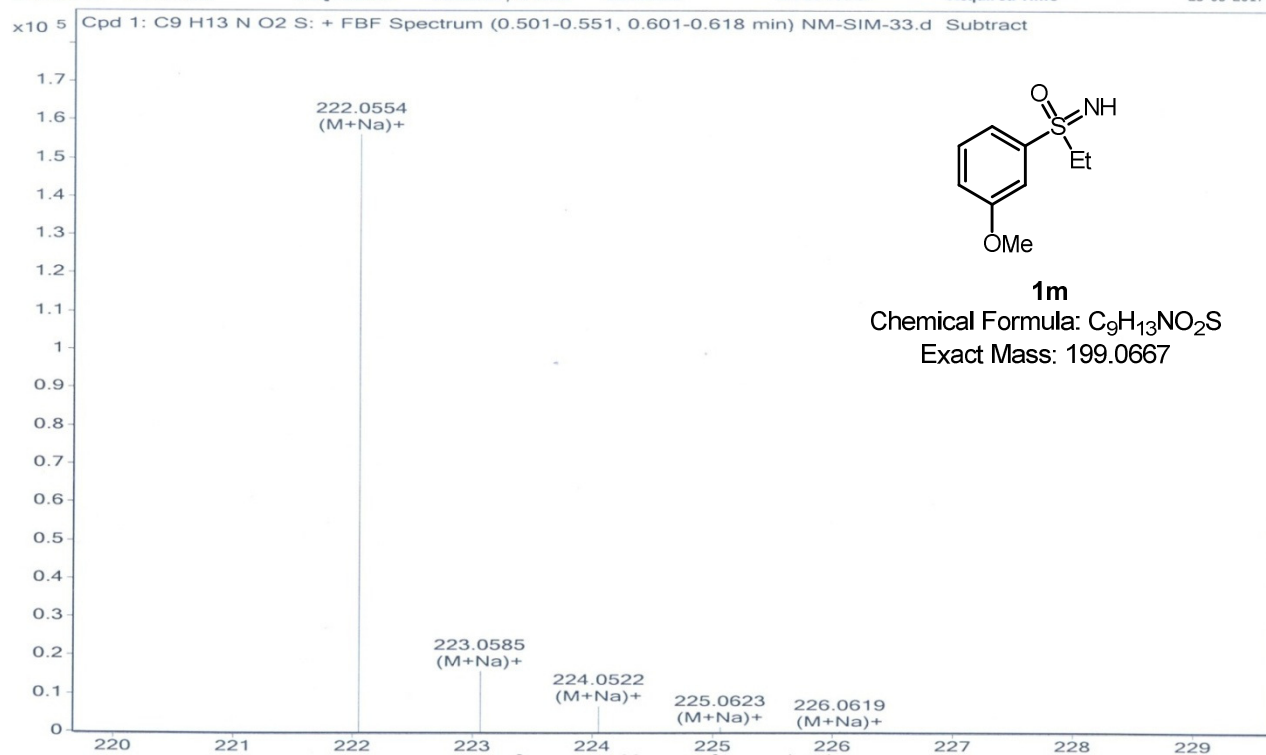


Figure 7.13 Mass Spectroscopy of product **1m**

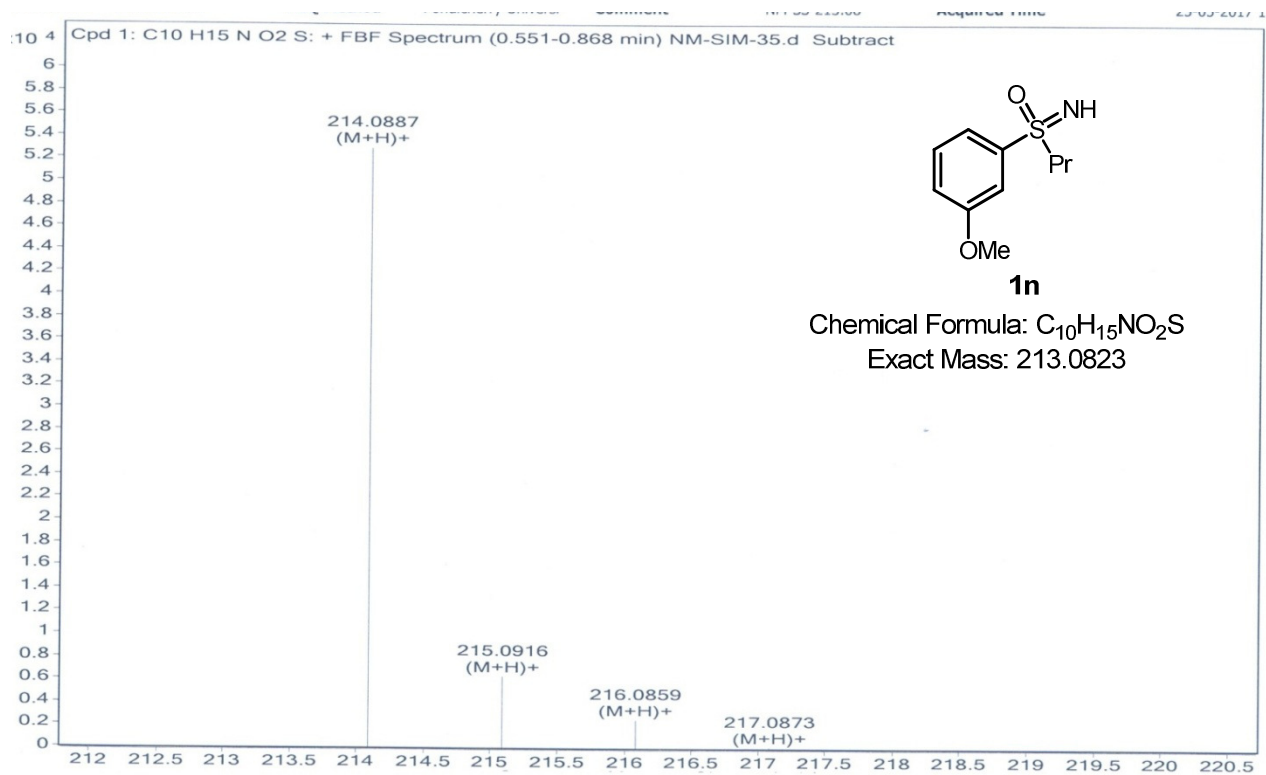


Figure 7.14 Mass Spectroscopy of product **1n**

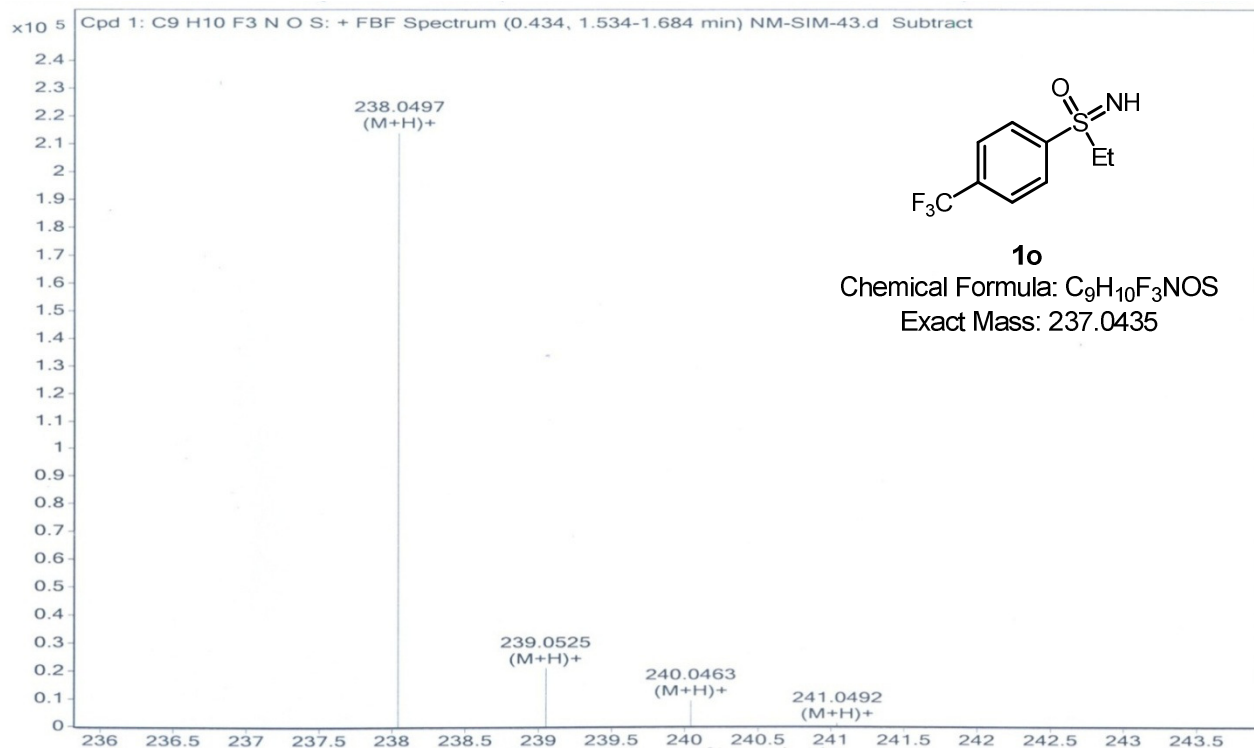


Figure 7.15 Mass Spectroscopy of product **1o**

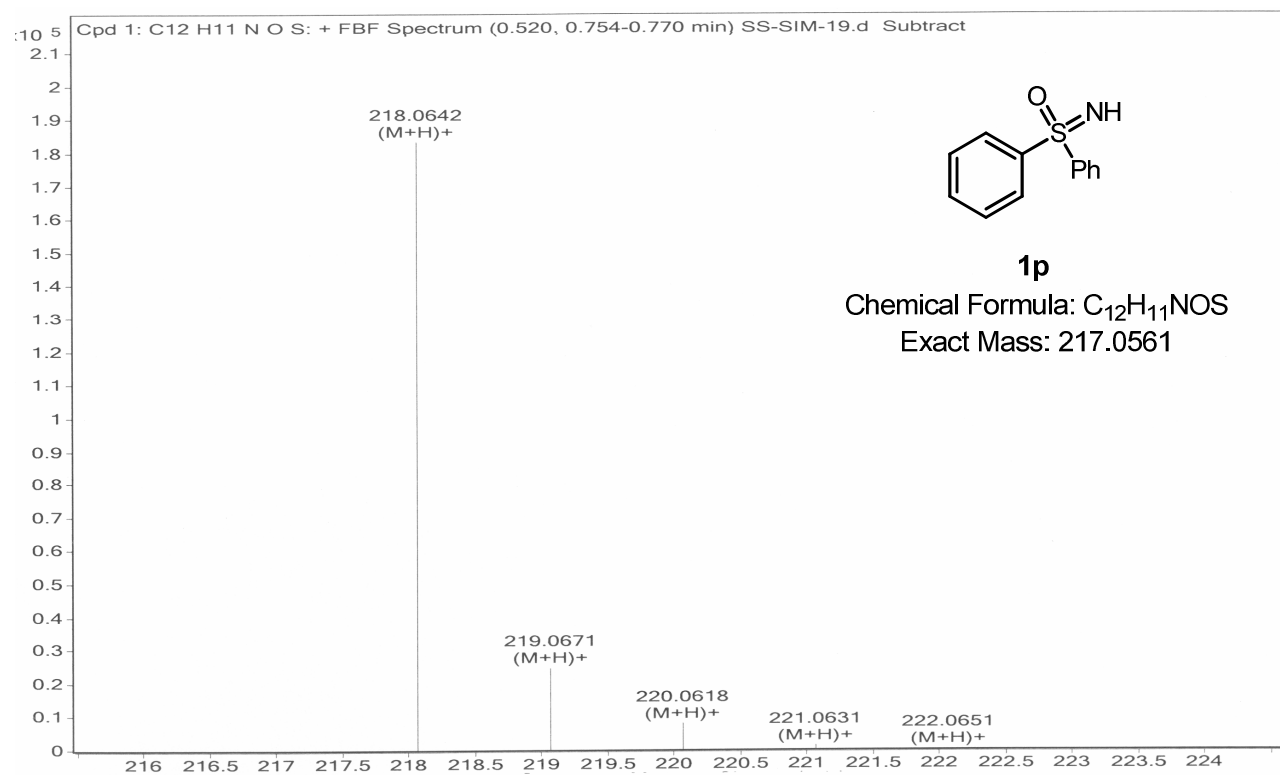


Figure 7.16 Mass Spectroscopy of product **1p**

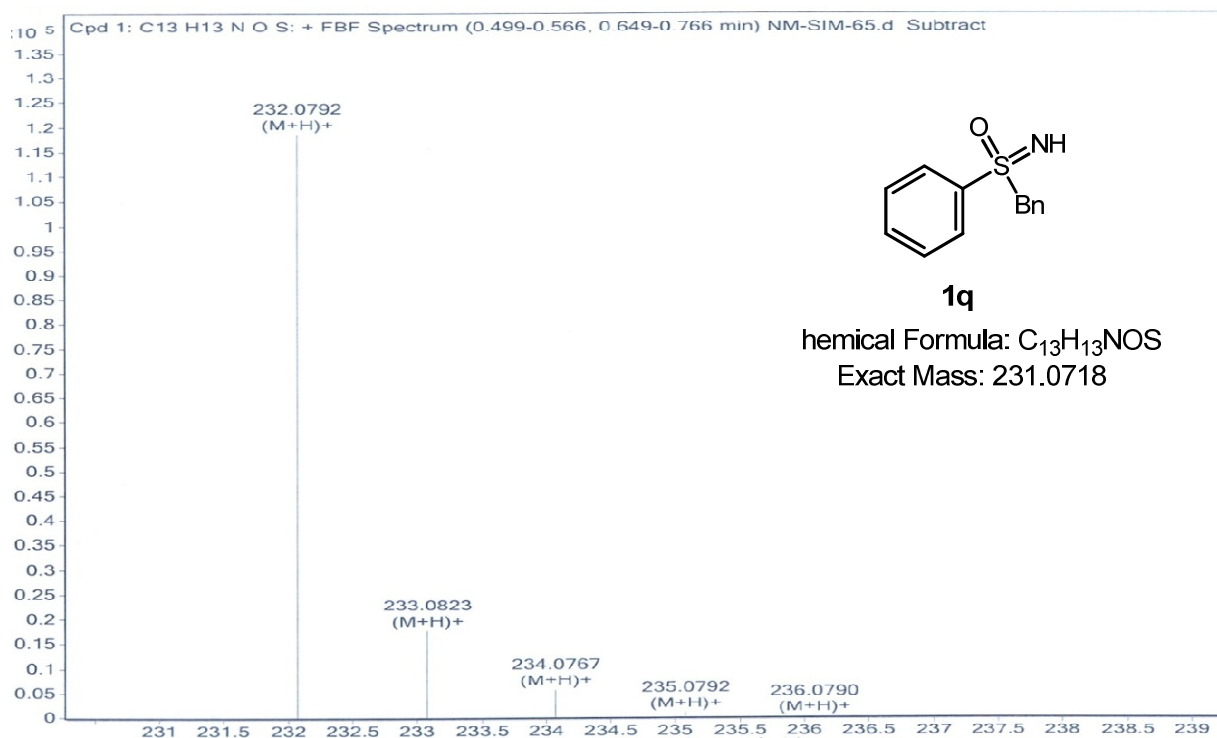


Figure 7.17 Mass Spectroscopy of product **1q**

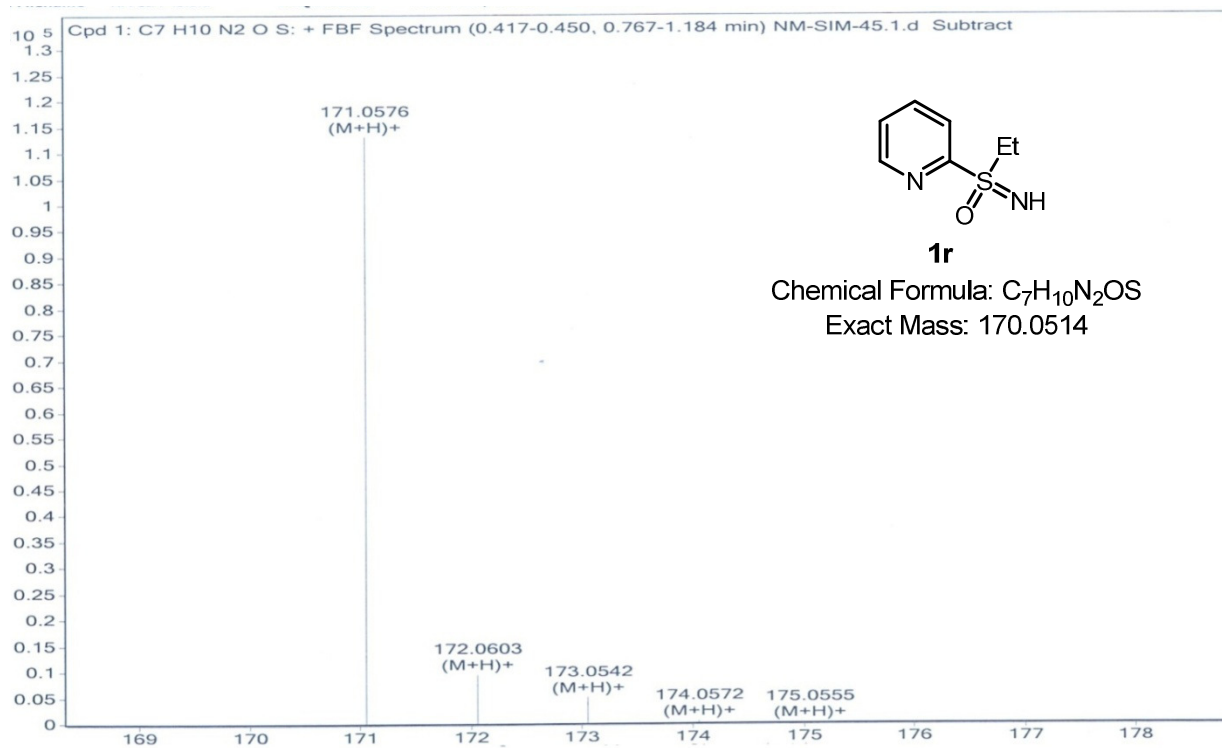
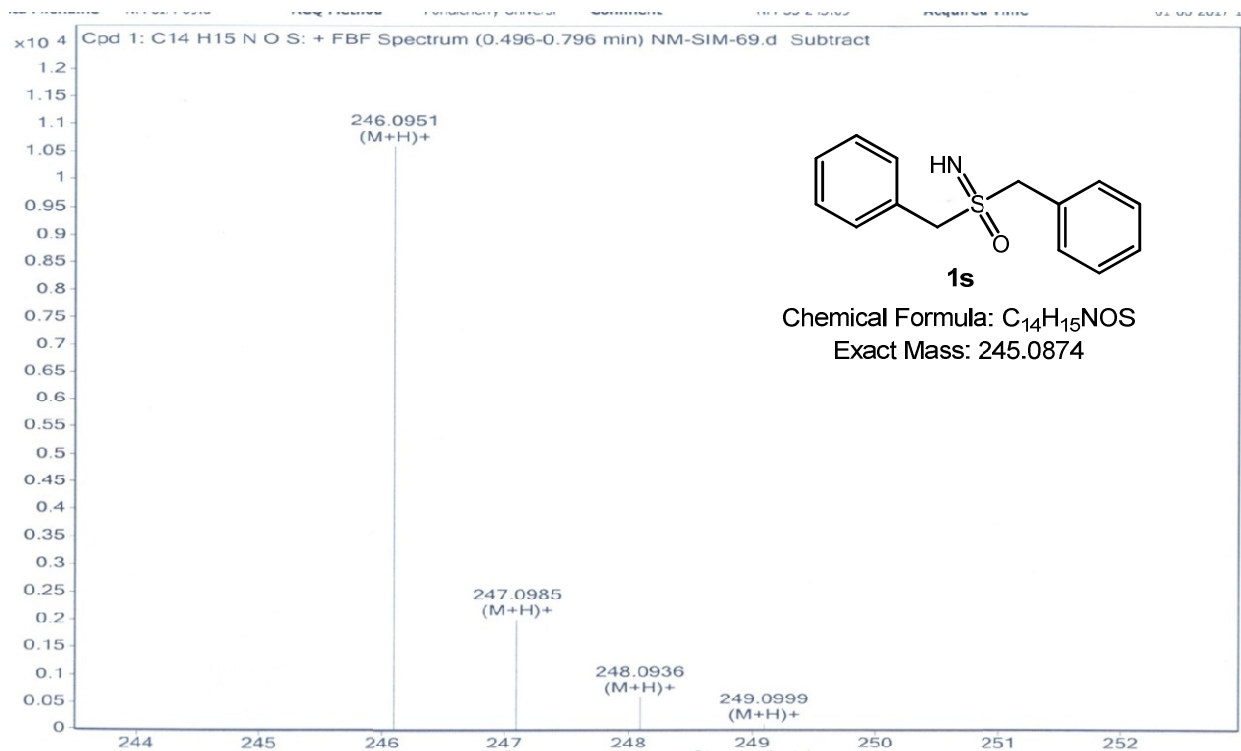
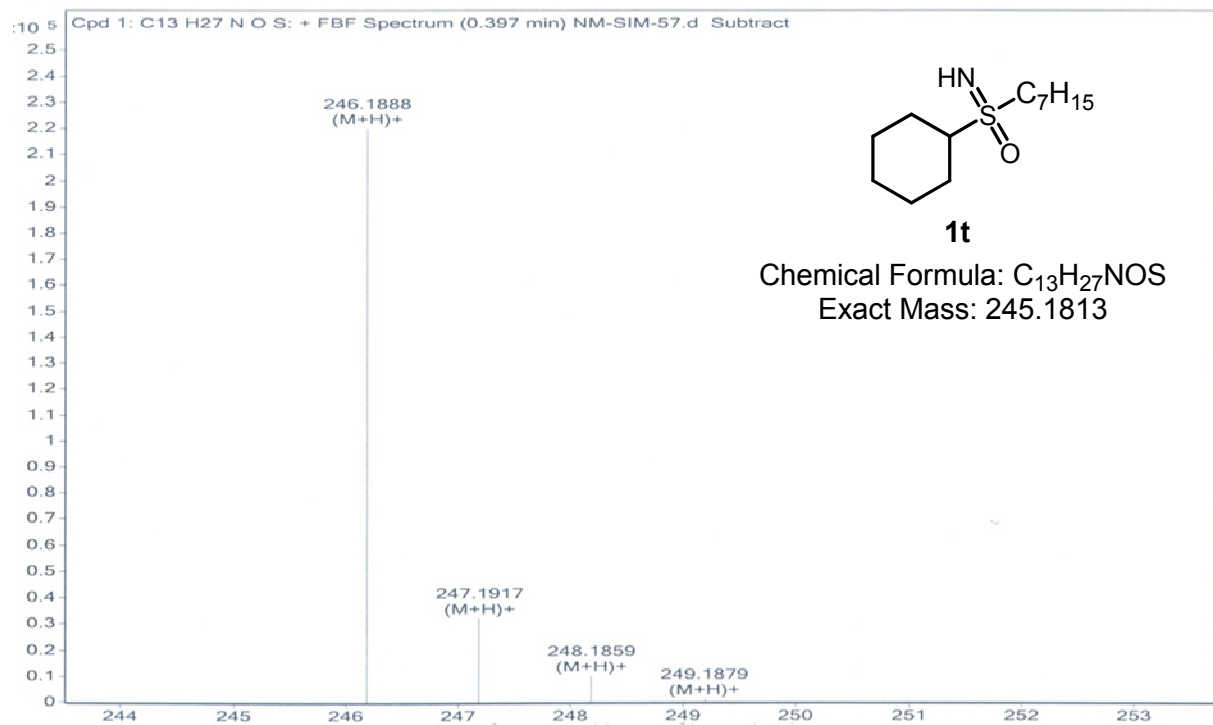


Figure 7.18 Mass Spectroscopy of product **1r**

**Figure 7.19** Mass Spectroscopy of product **1s****Figure 7.20** Mass Spectroscopy of product **1t**

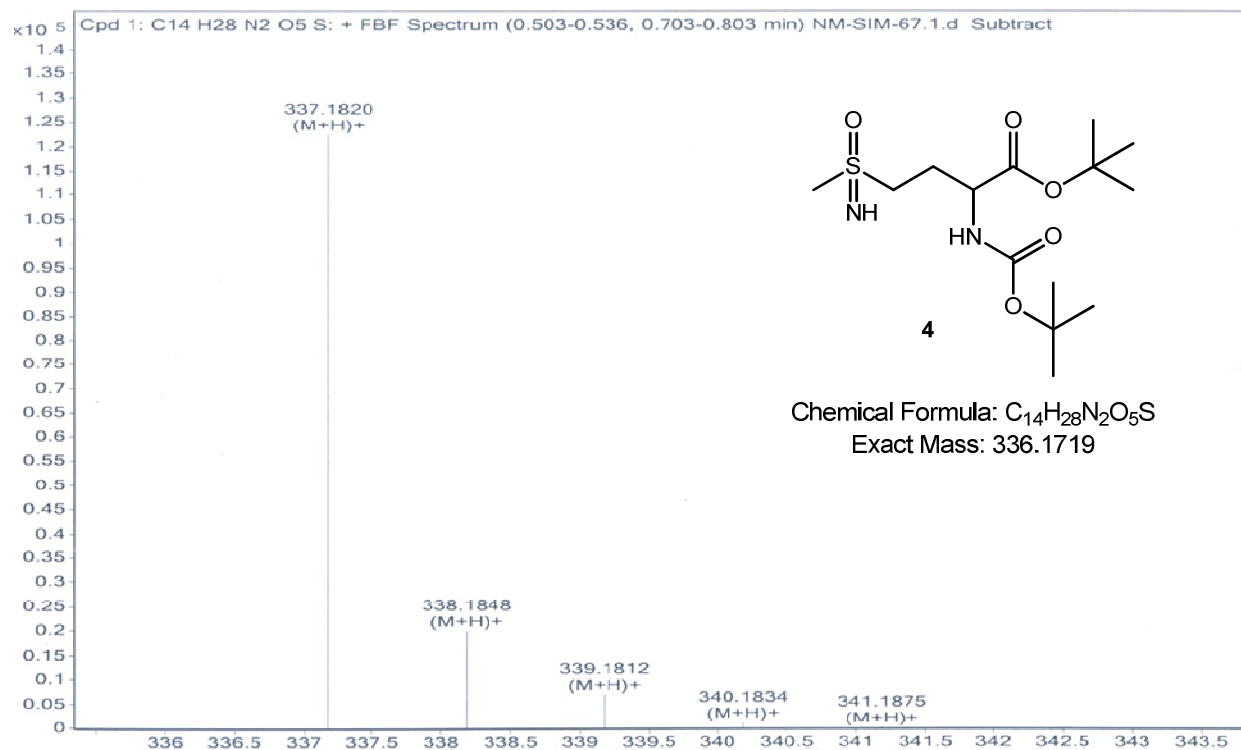


Figure 7.21 Mass Spectroscopy of product **4**

8) Mass Spectroscopy for N-Alkyl sulfoximines

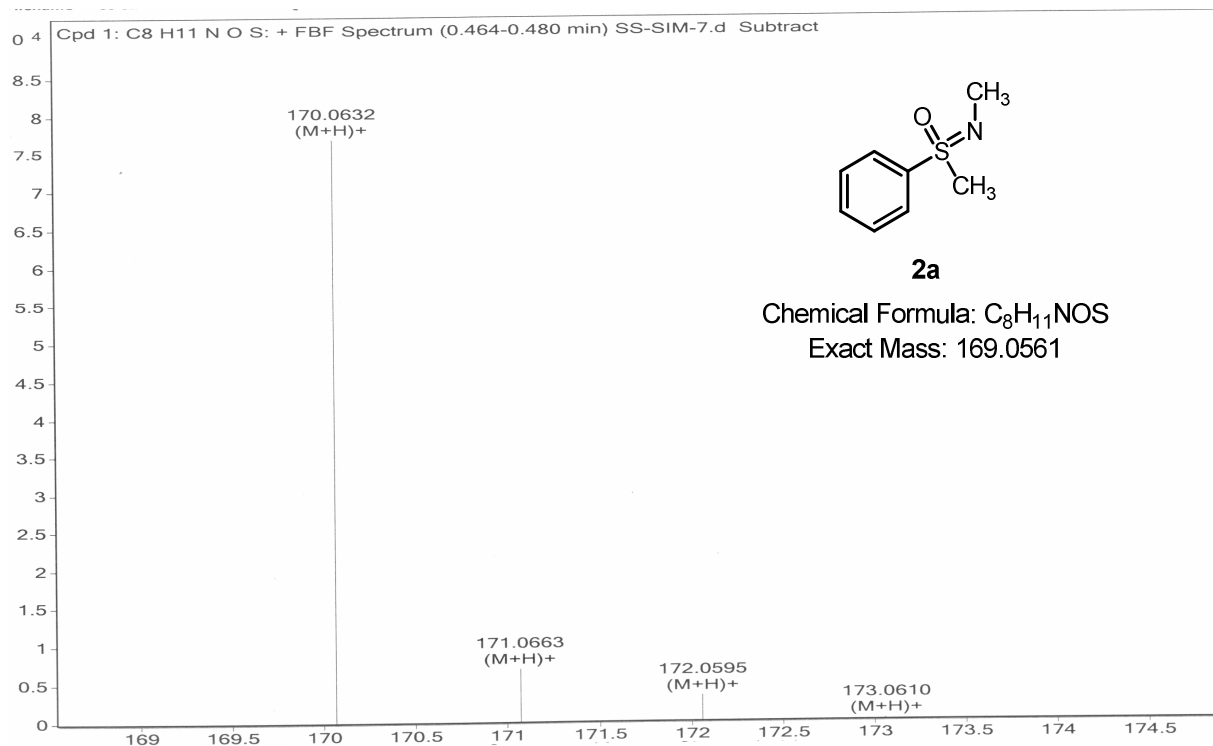


Figure 8.1 Mass Spectroscopy of product **2a**

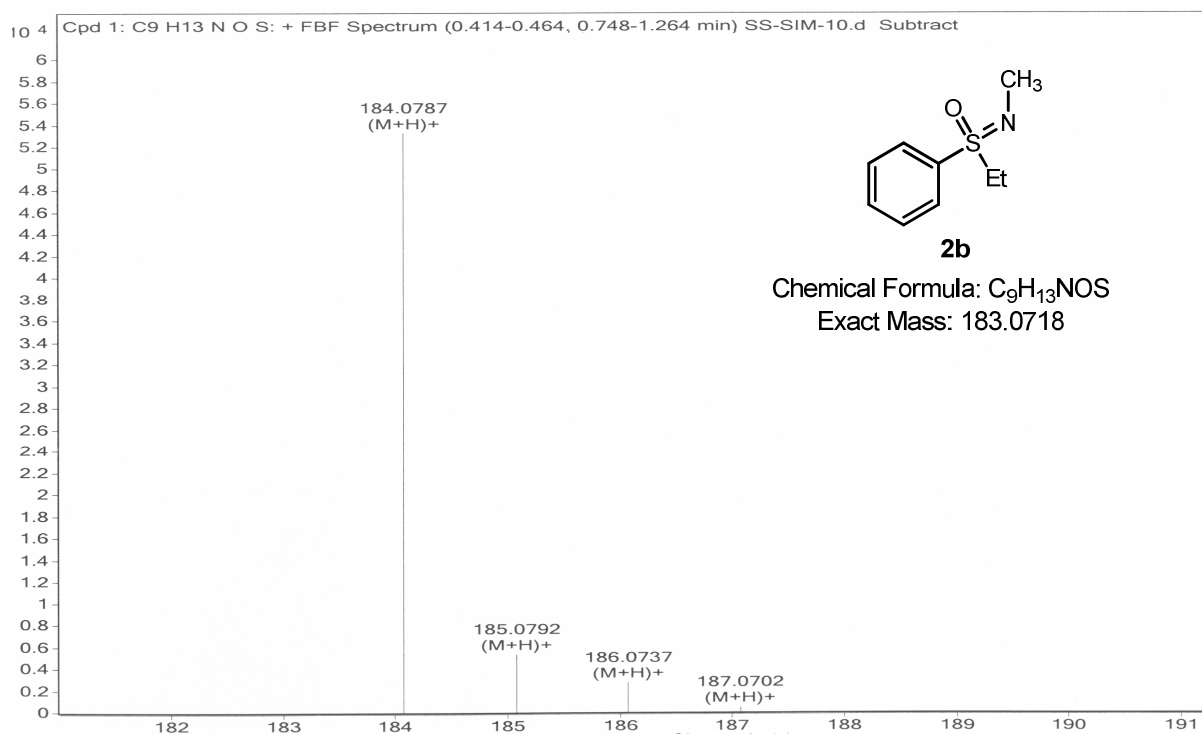


Figure 8.2 Mass Spectroscopy of product **2b**

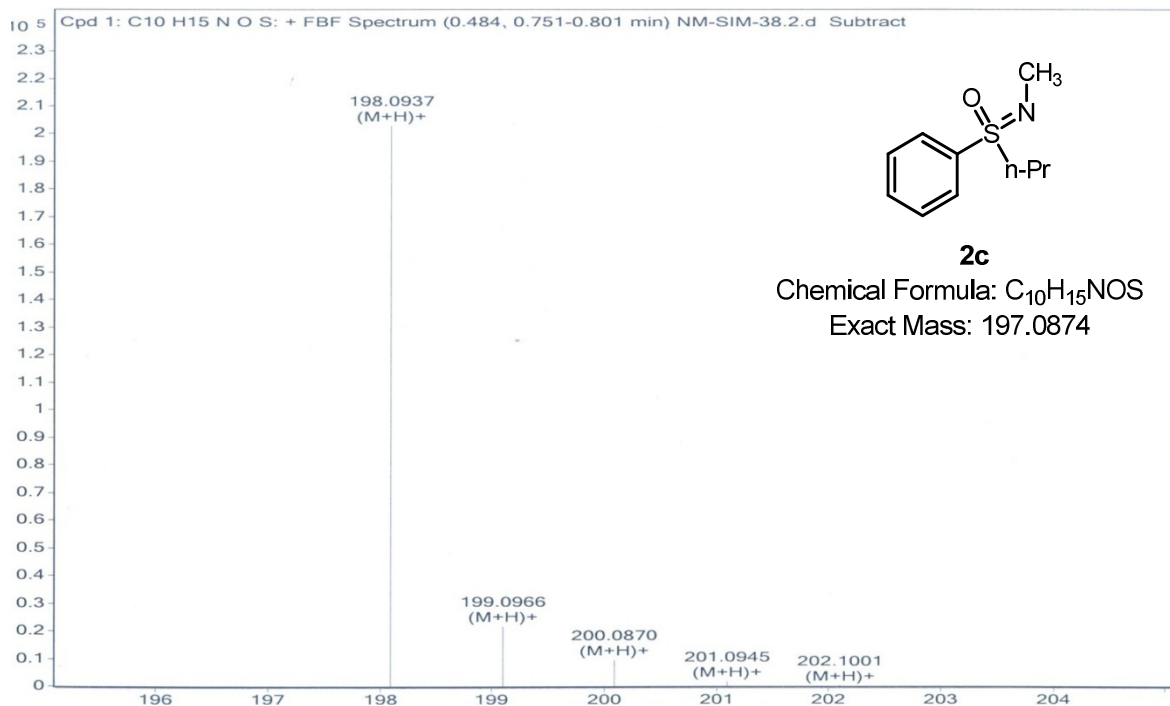


Figure 8.3 Mass Spectroscopy of product **2c**

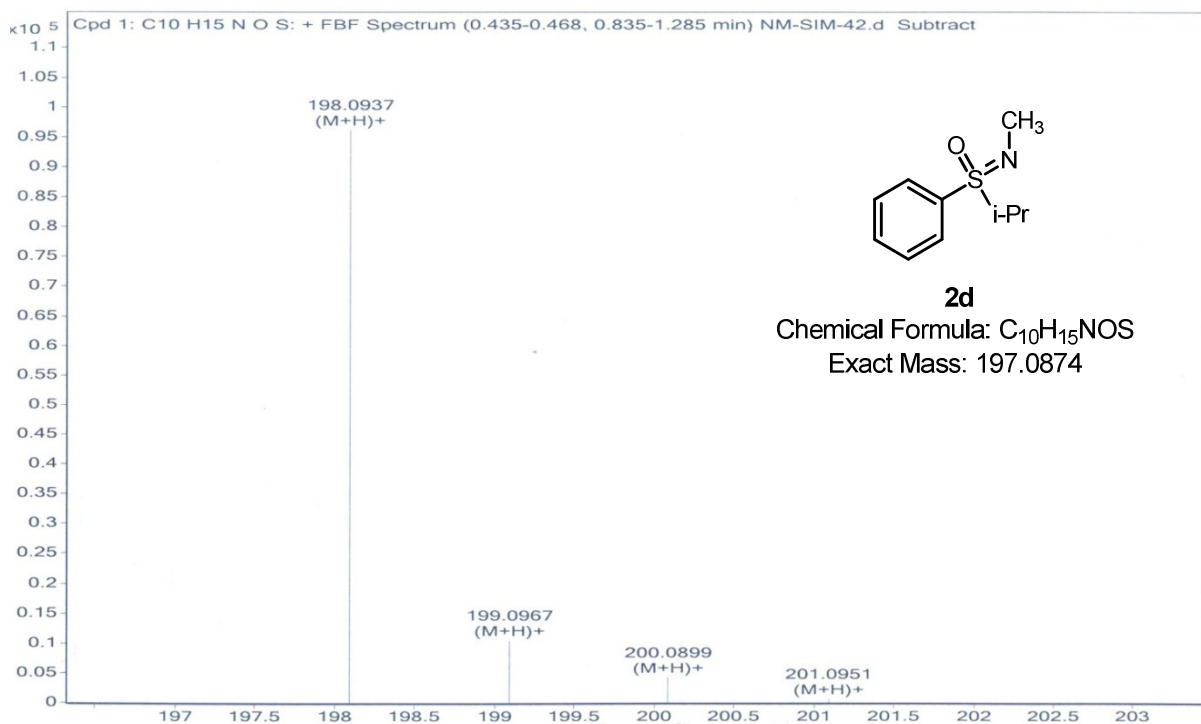


Figure 8.4 Mass Spectroscopy of product **2d**

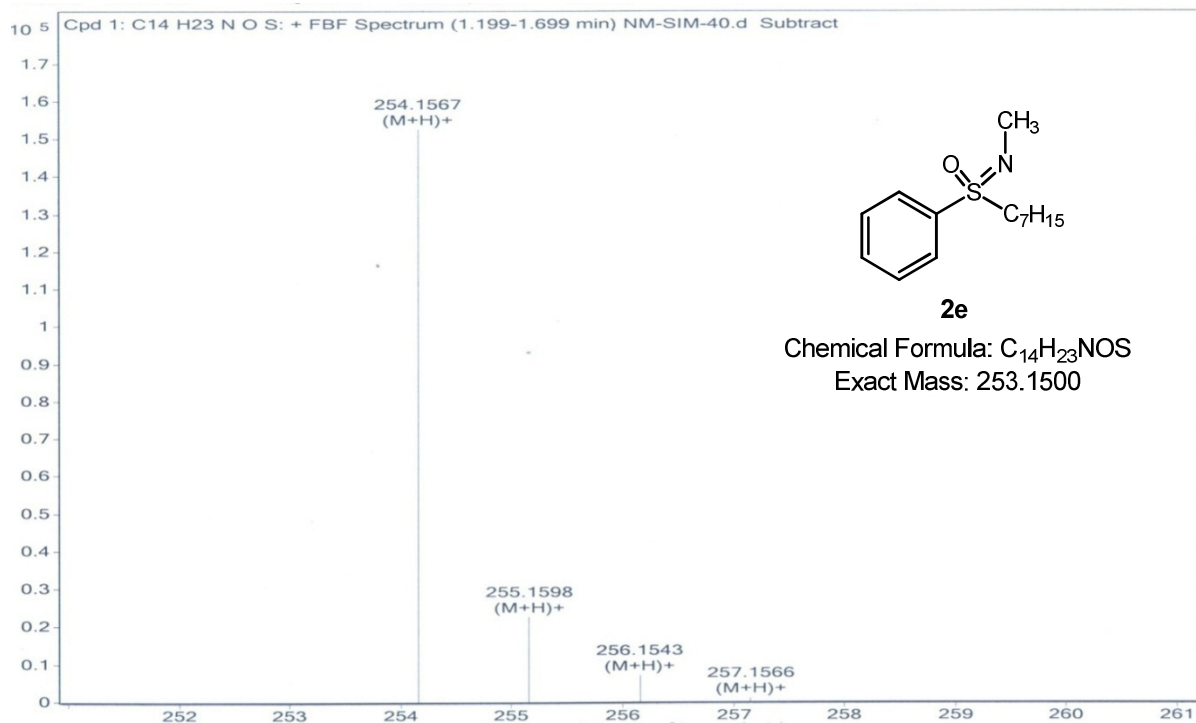


Figure 8.5 Mass Spectroscopy of product **2e**

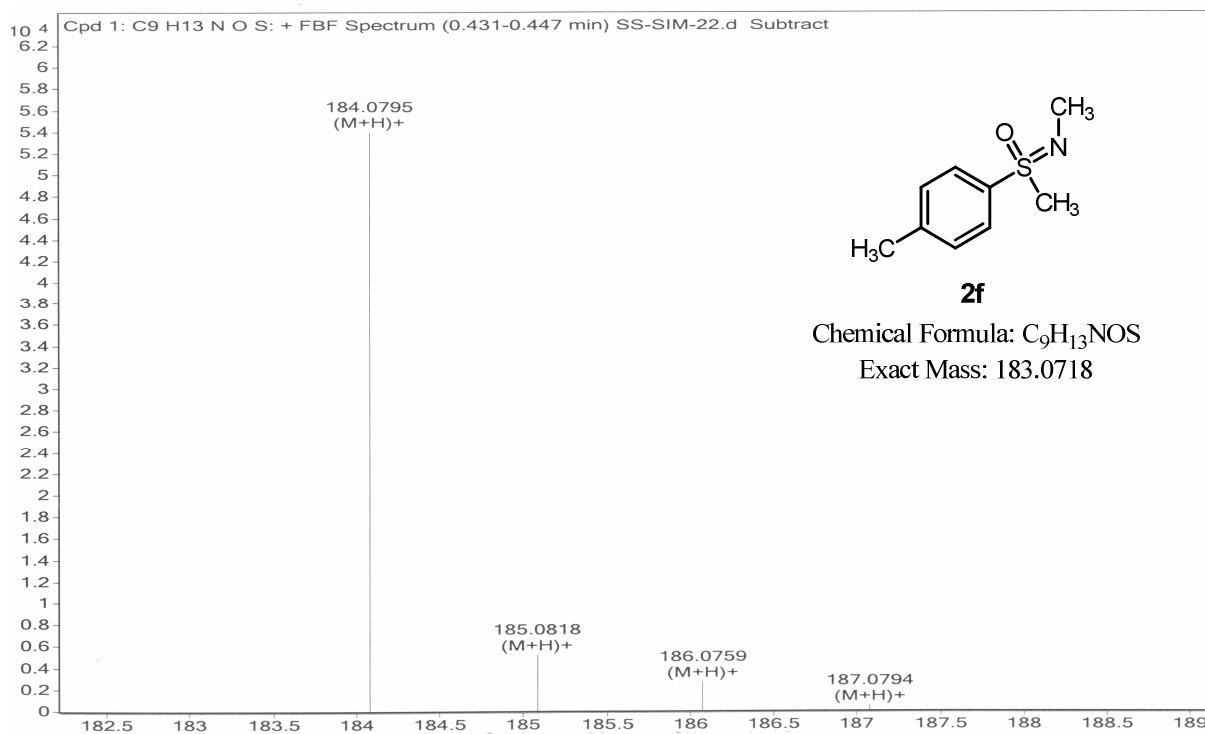


Figure 8.6 Mass Spectroscopy of product **2f**

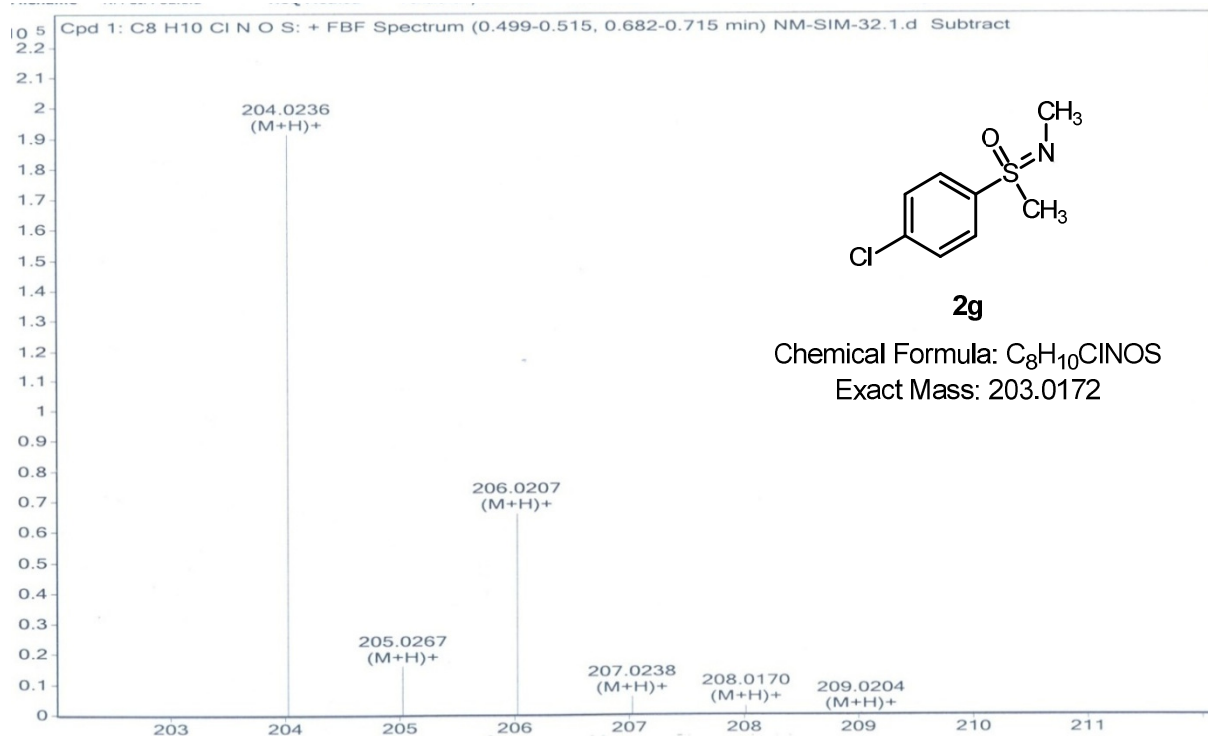


Figure 8.7 Mass Spectroscopy of product **2g**

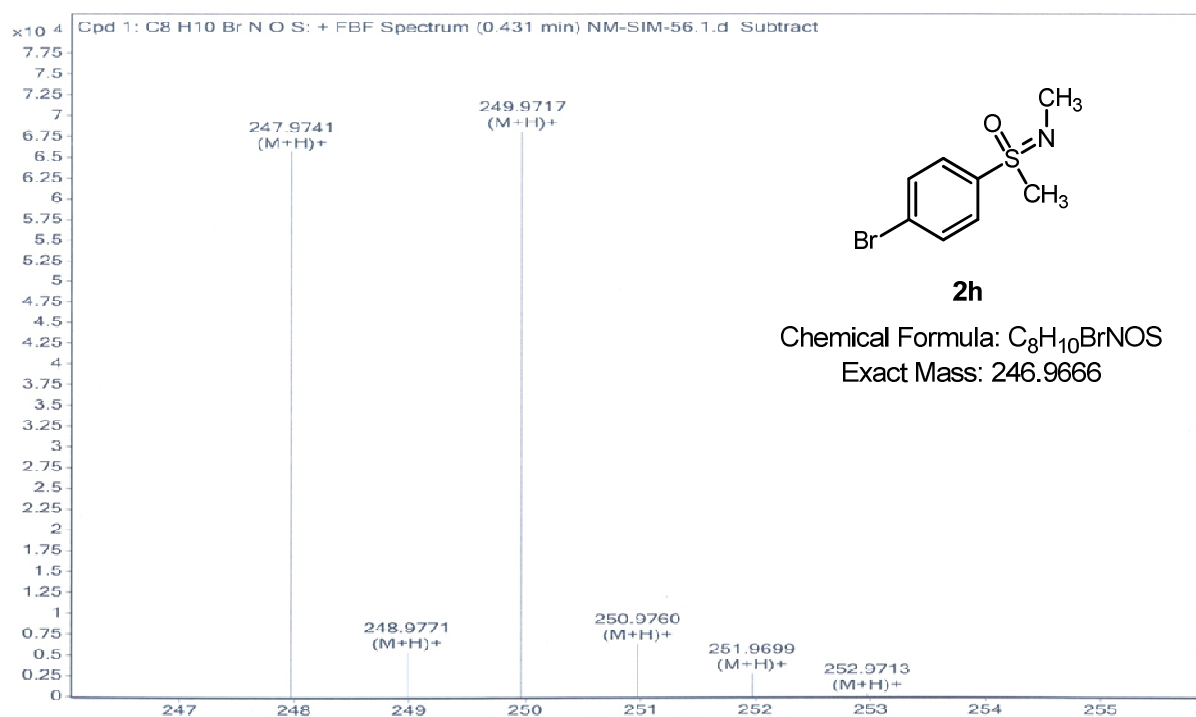


Figure 8.8 Mass Spectroscopy of product **2h**

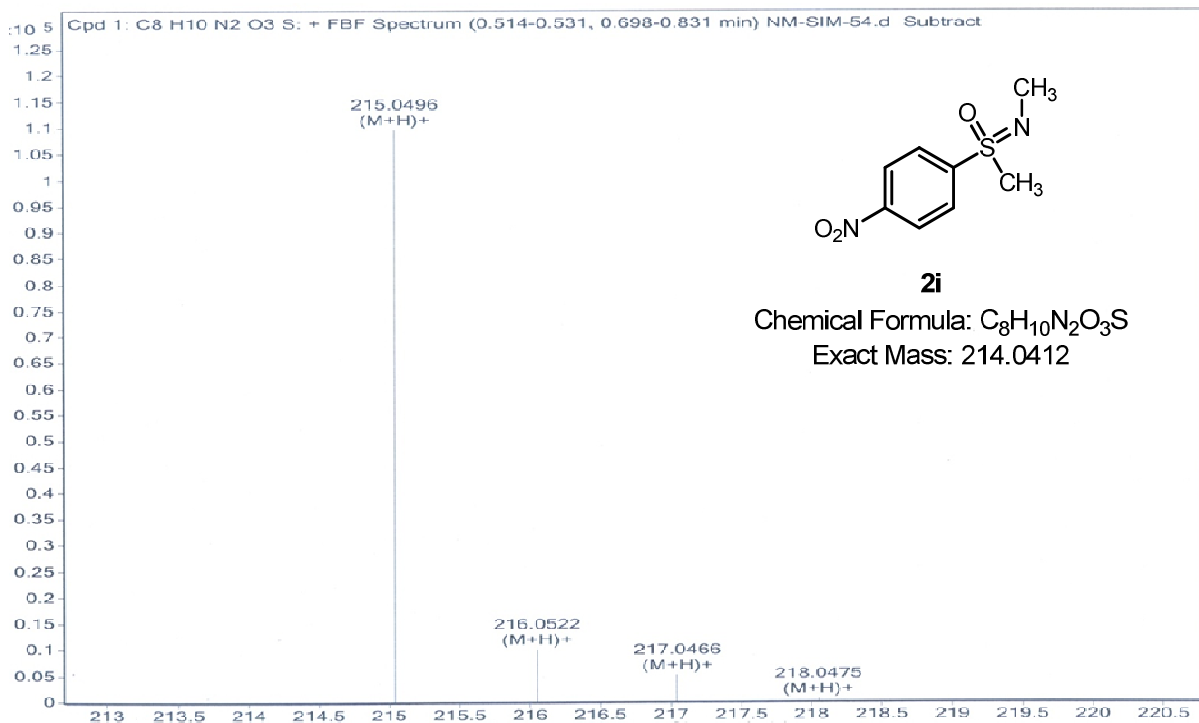


Figure 8.9 Mass Spectroscopy of product **2i**

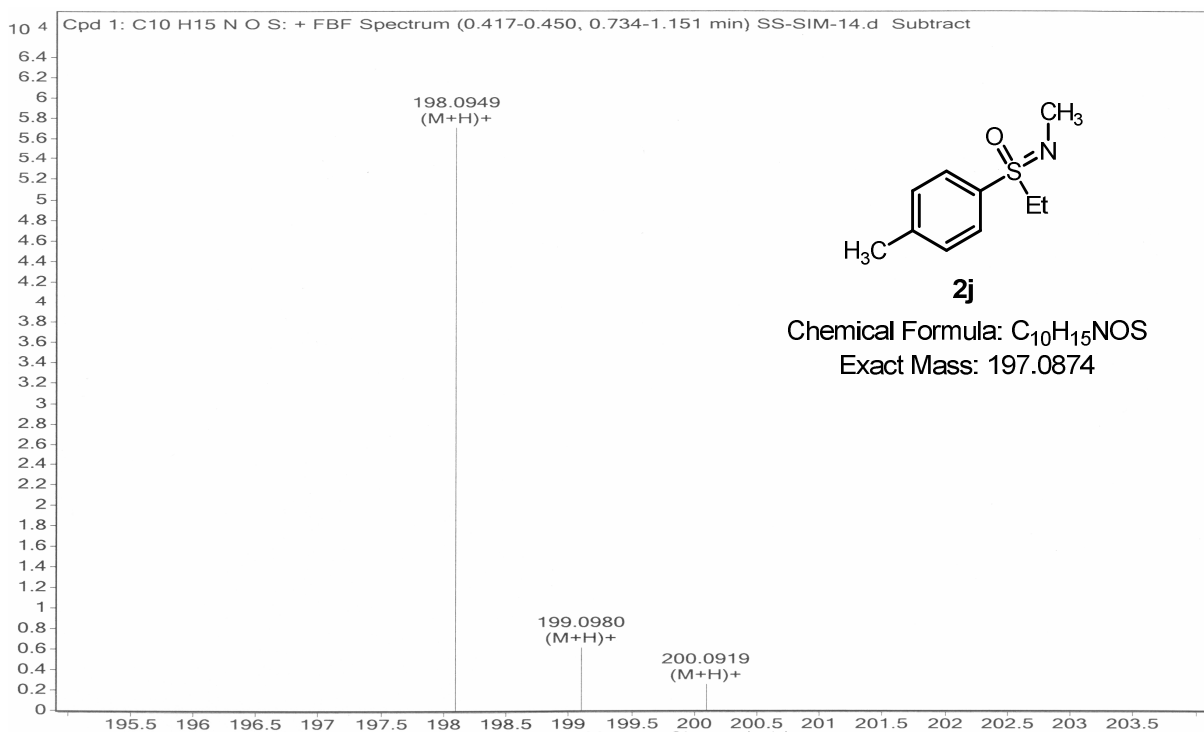


Figure 8.10 Mass Spectroscopy of product **2j**

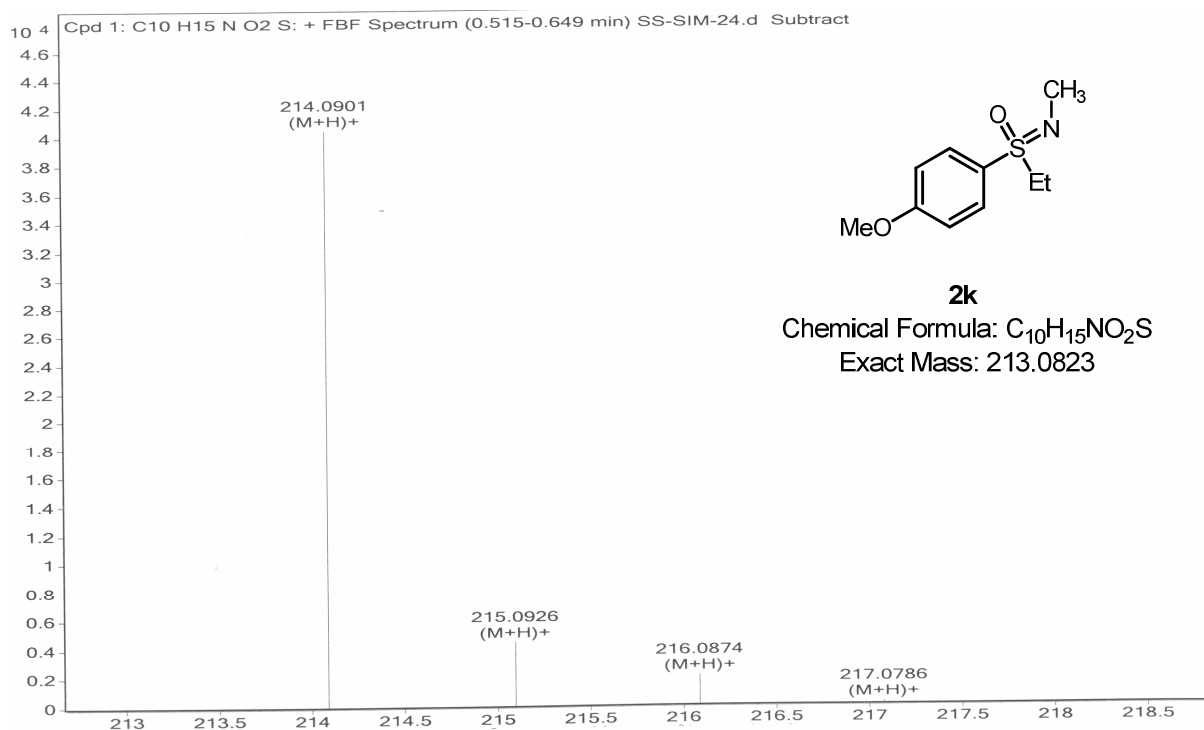


Figure 8.11 Mass Spectroscopy of product **2k**

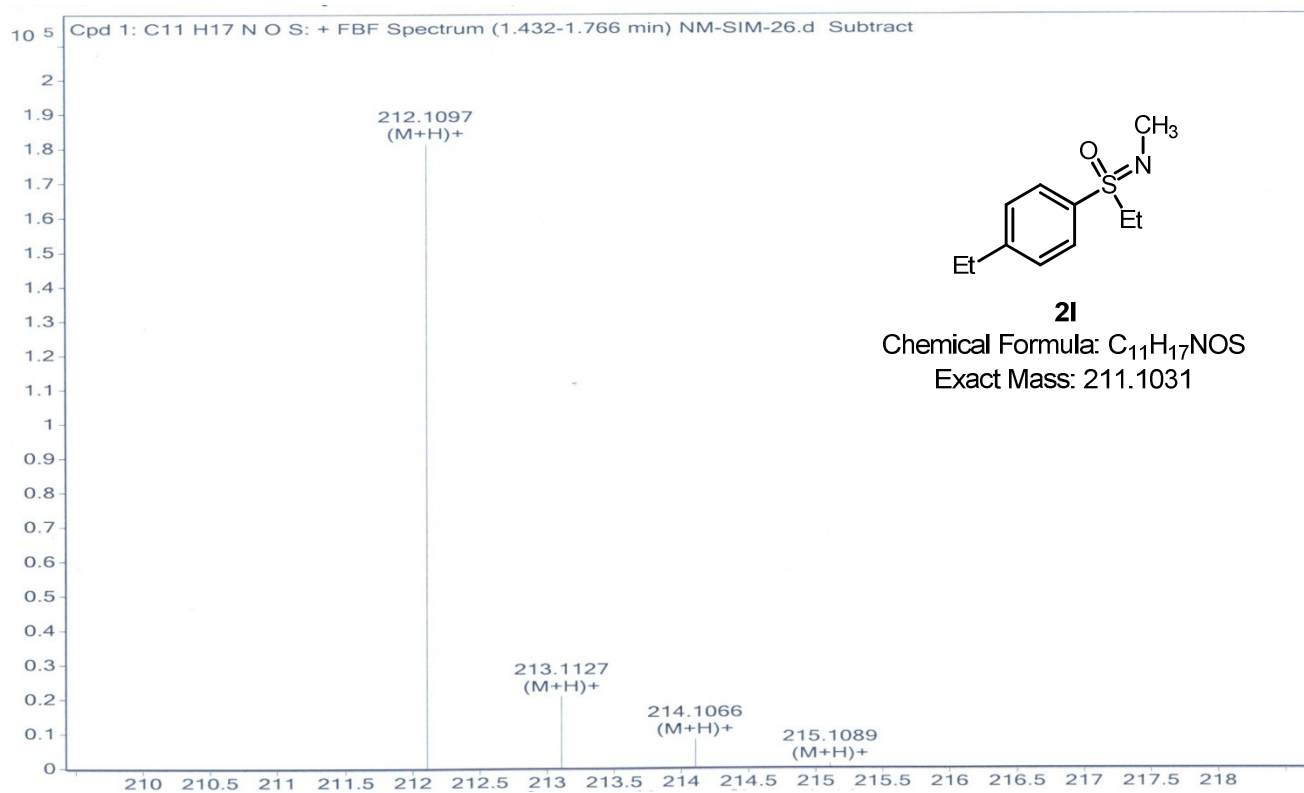


Figure 8.12 Mass Spectroscopy of product **2l**

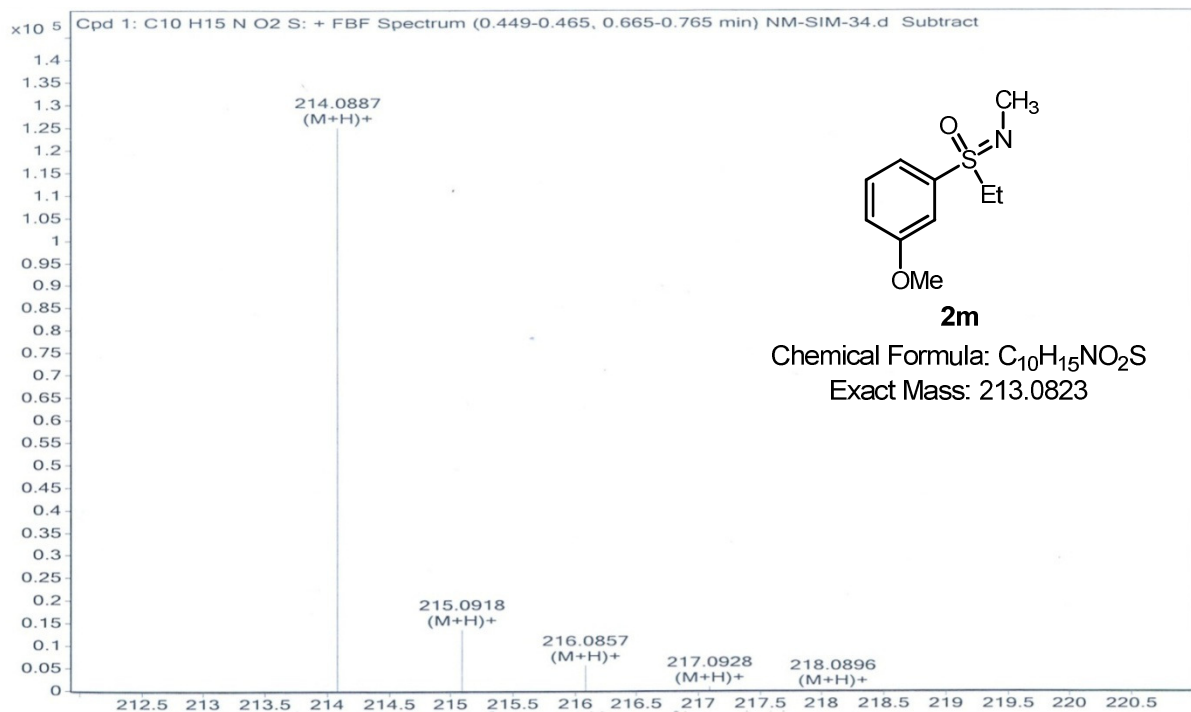


Figure 8.13 Mass Spectroscopy of product **2m**

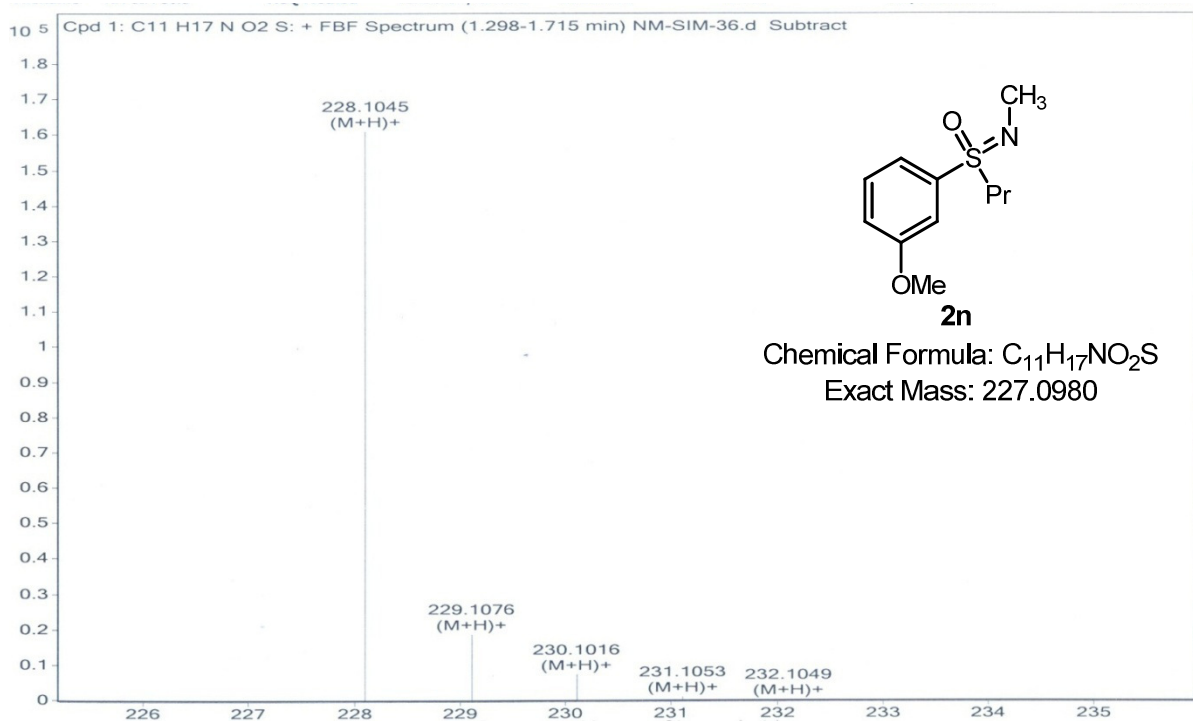


Figure 8.14 Mass Spectroscopy of product **2n**

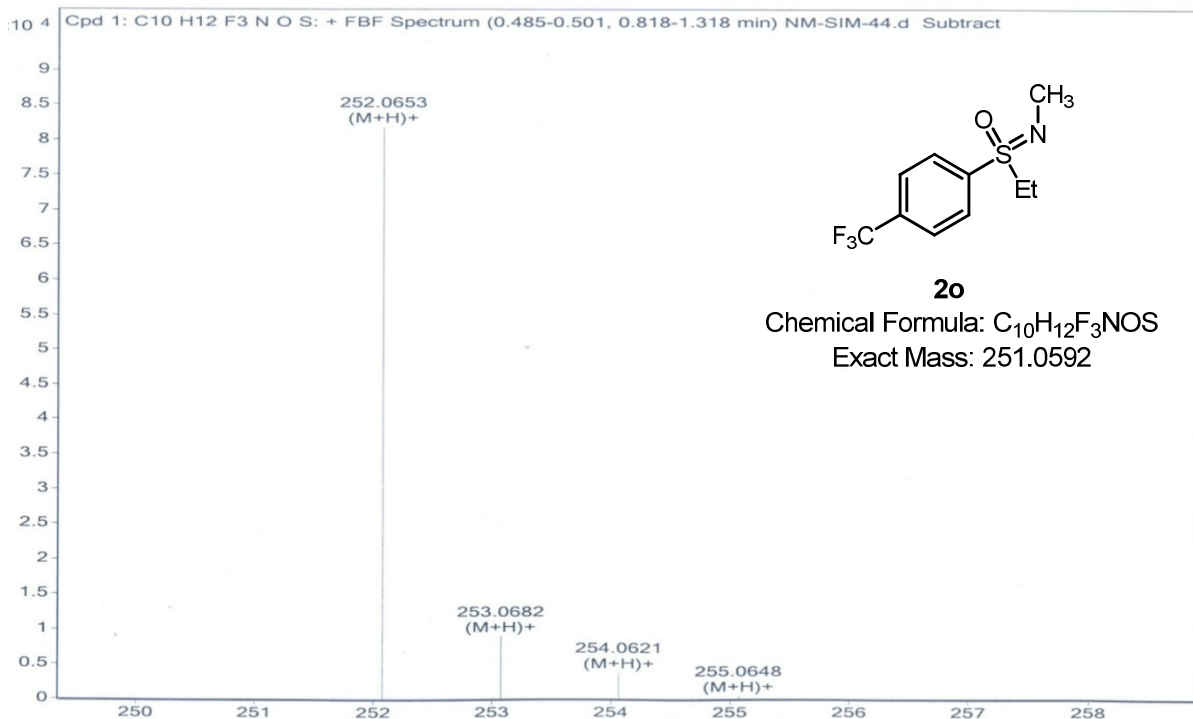


Figure 8.15 Mass Spectroscopy of product **2o**

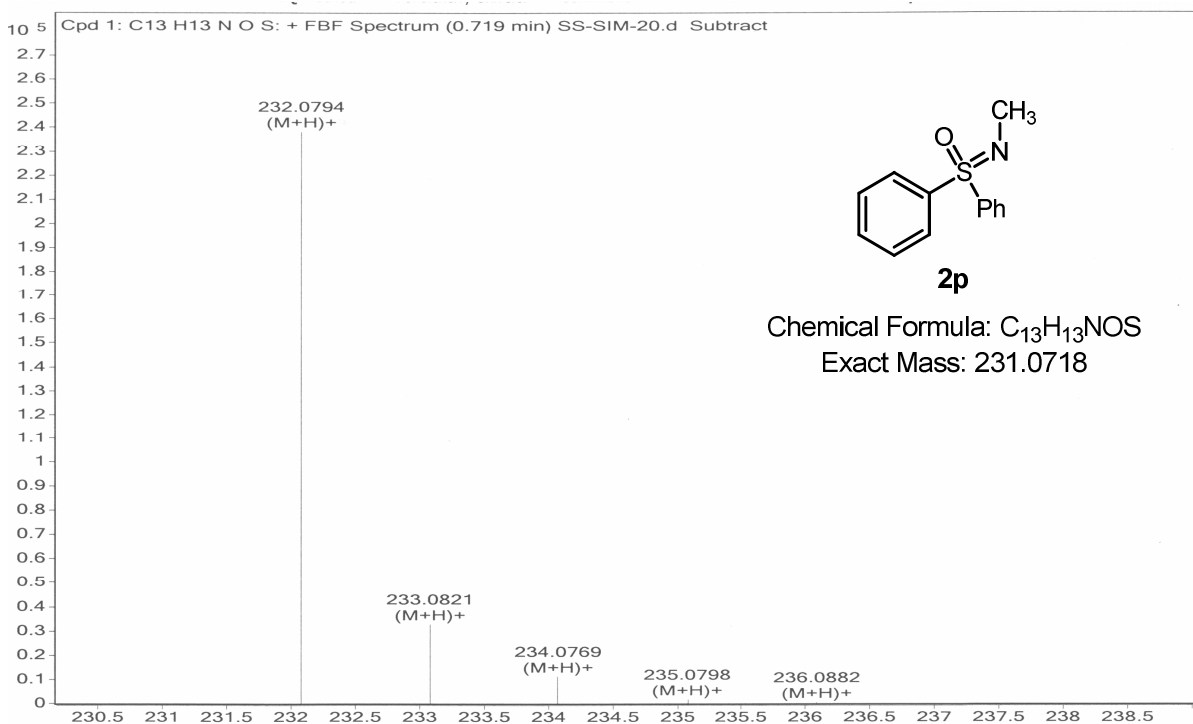


Figure 8.16 Mass Spectroscopy of product **2p**

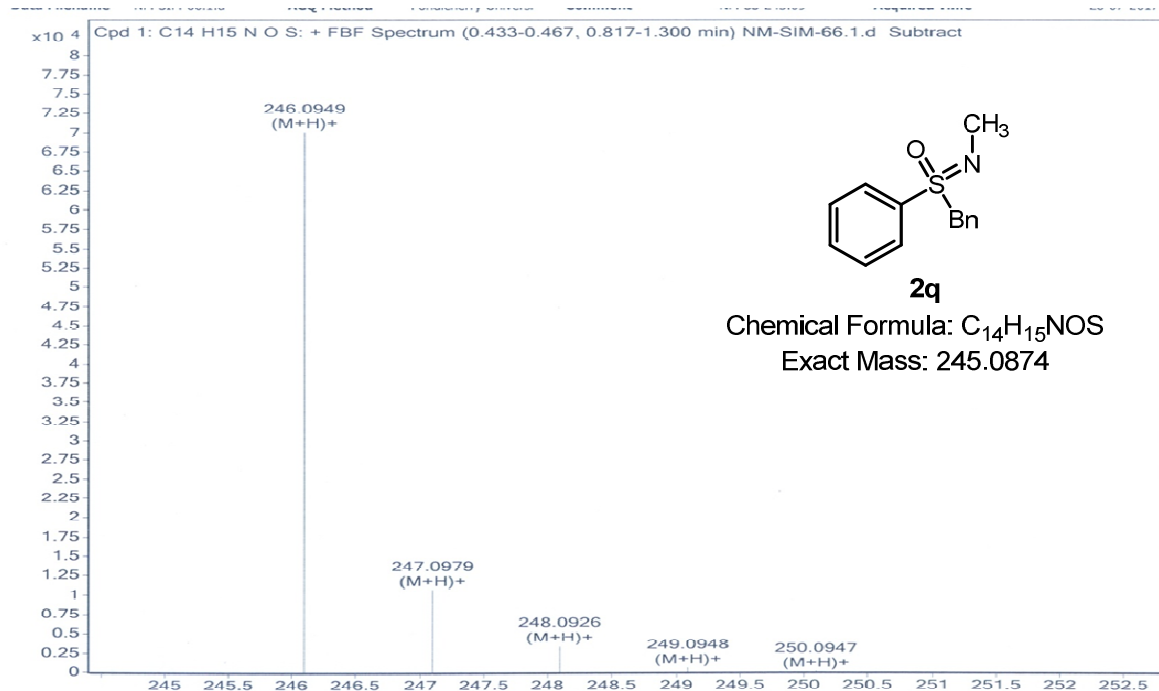


Figure 8.17 Mass Spectroscopy of product **2q**

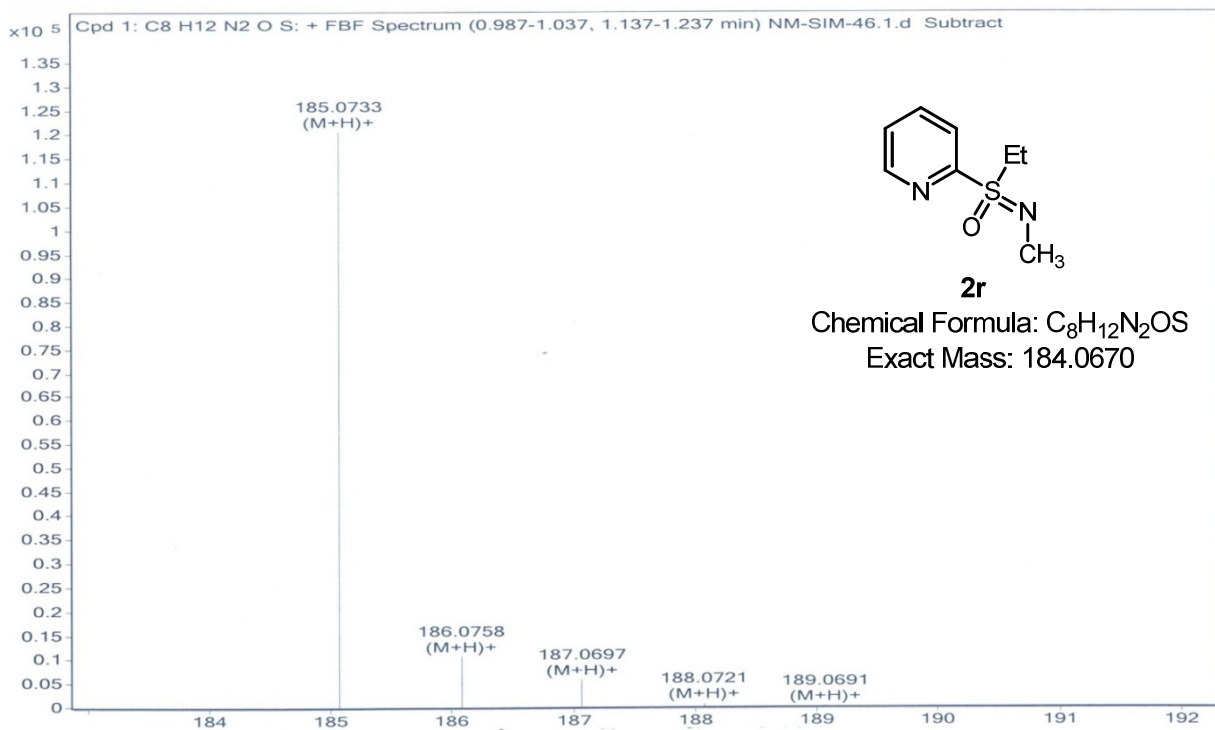


Figure 8.18 Mass Spectroscopy of product **2r**

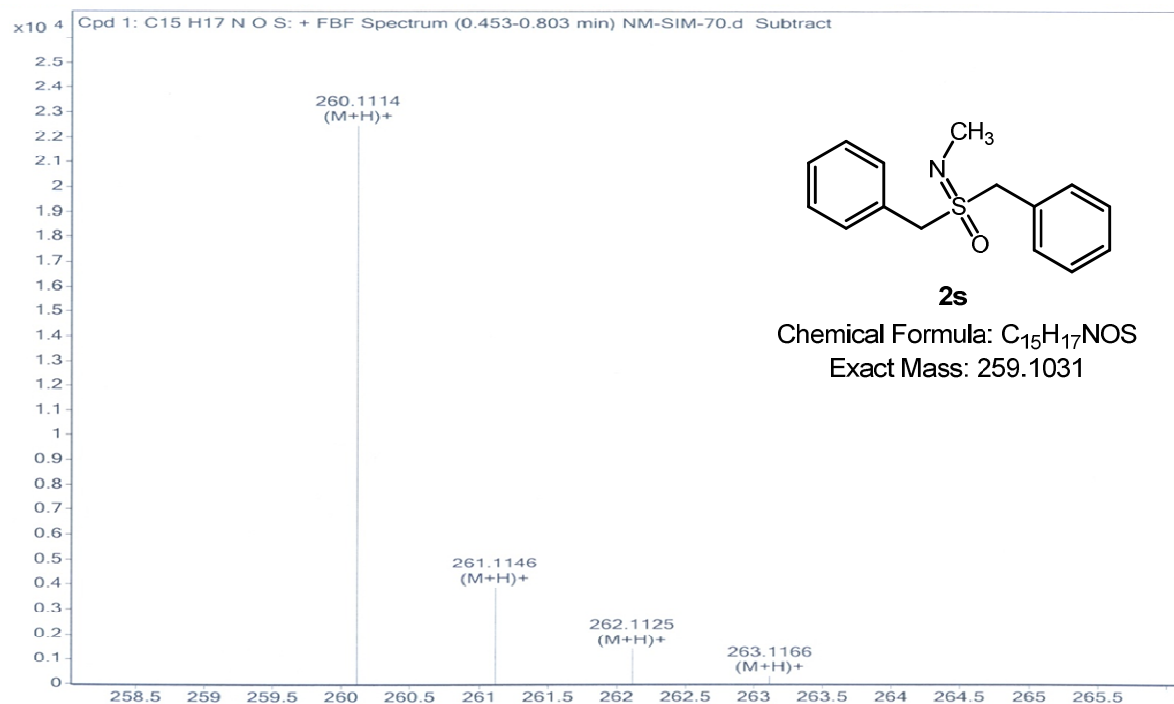


Figure 8.19 Mass Spectroscopy of product **2s**

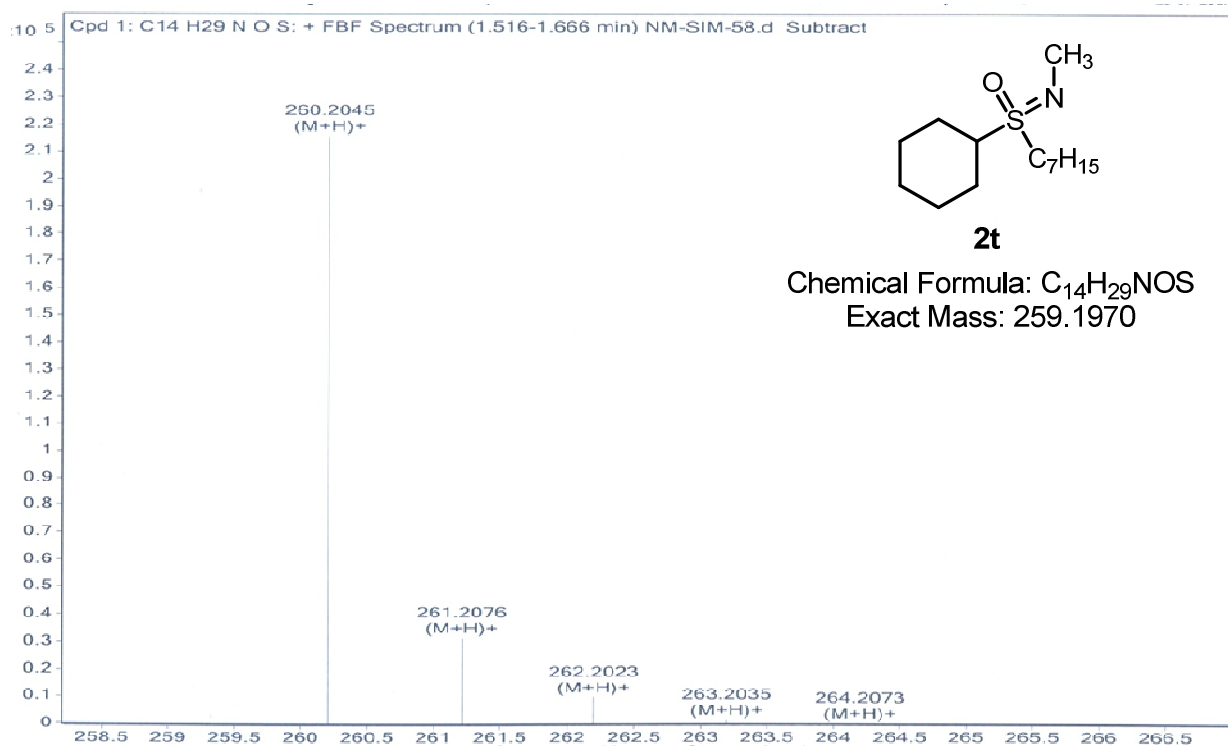
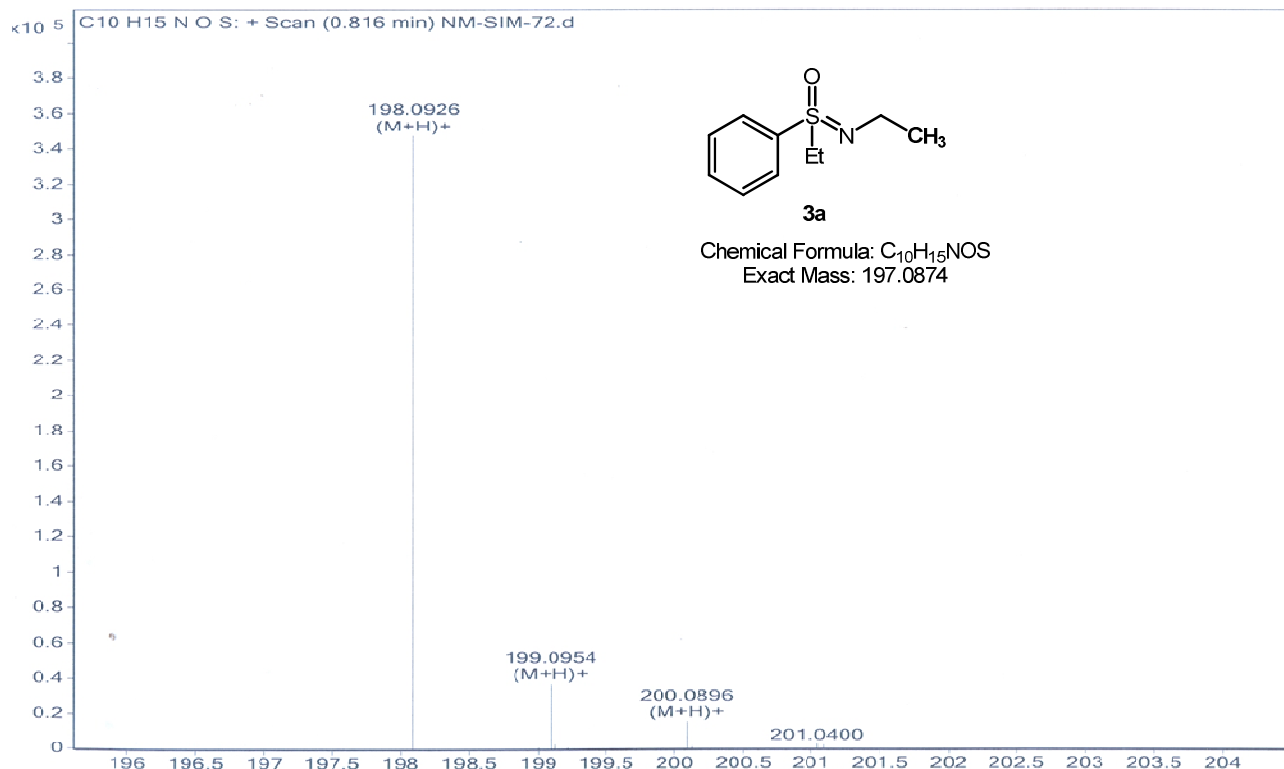
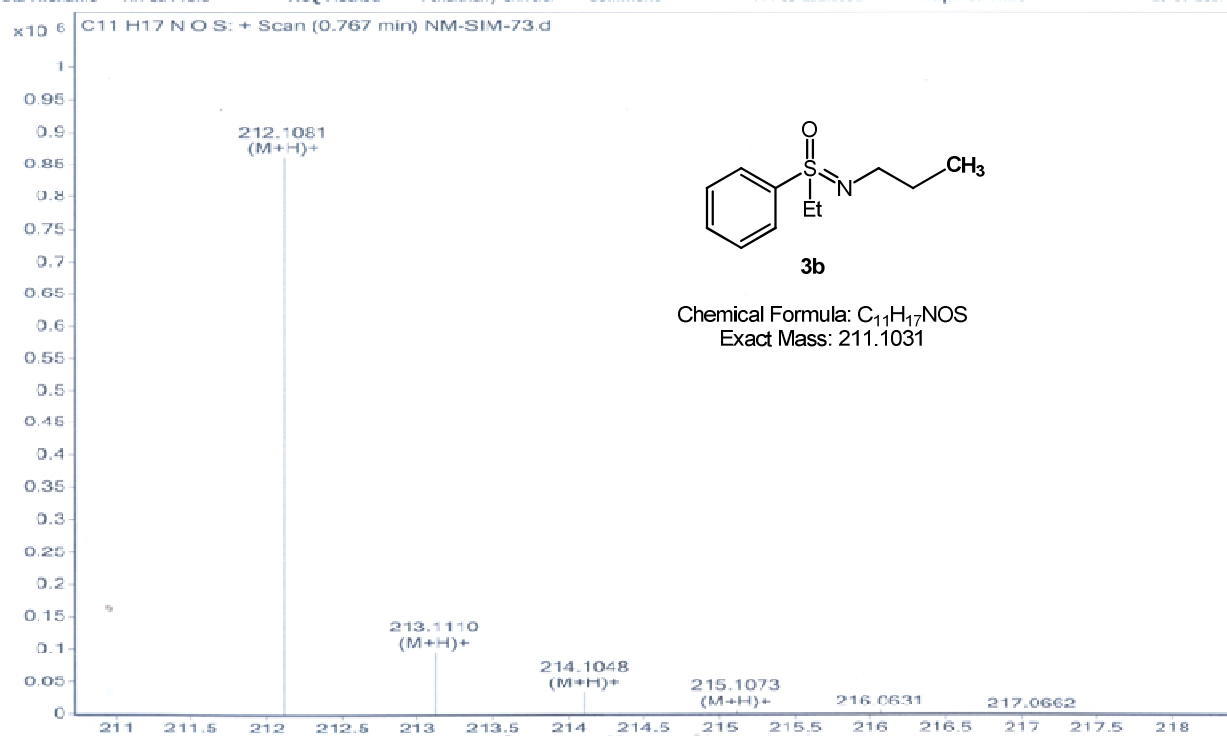
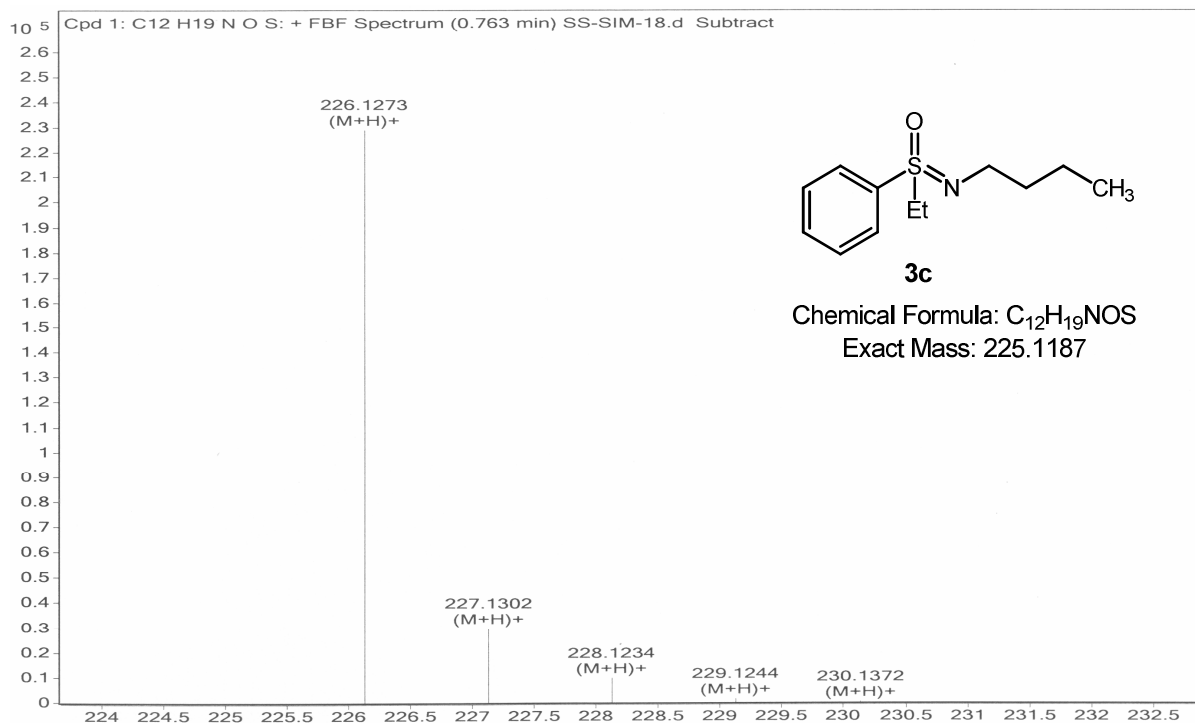
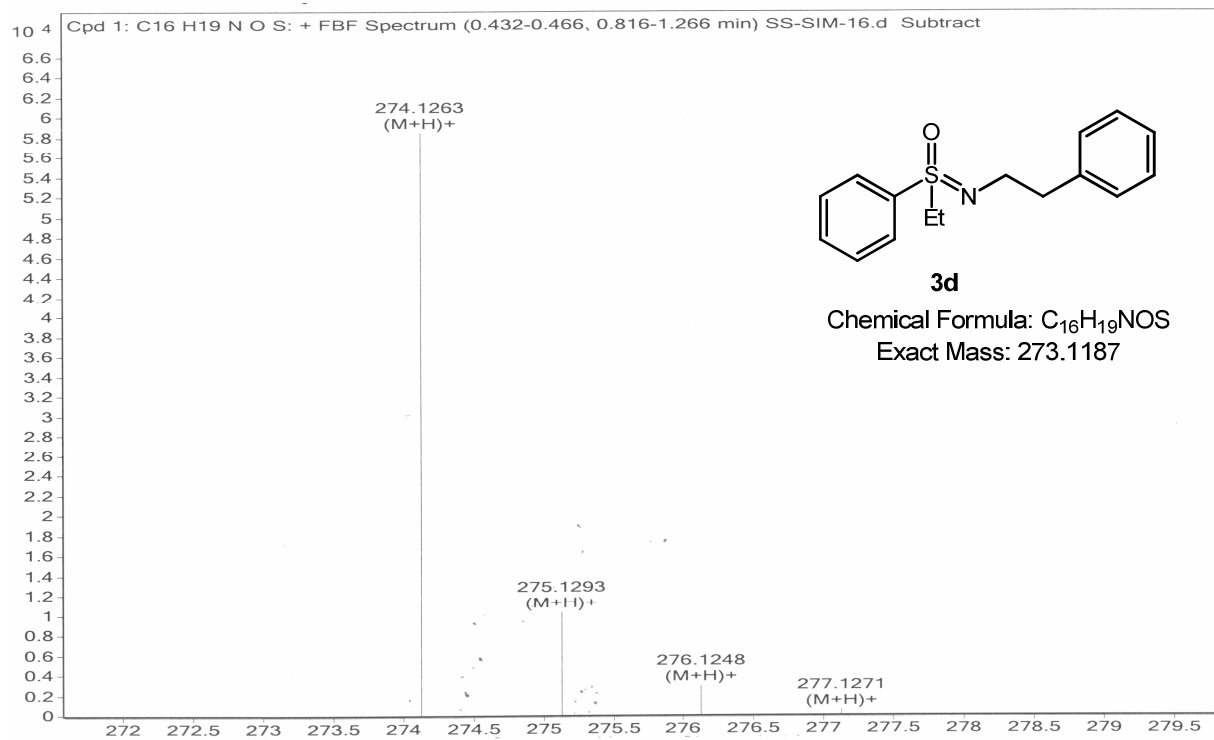
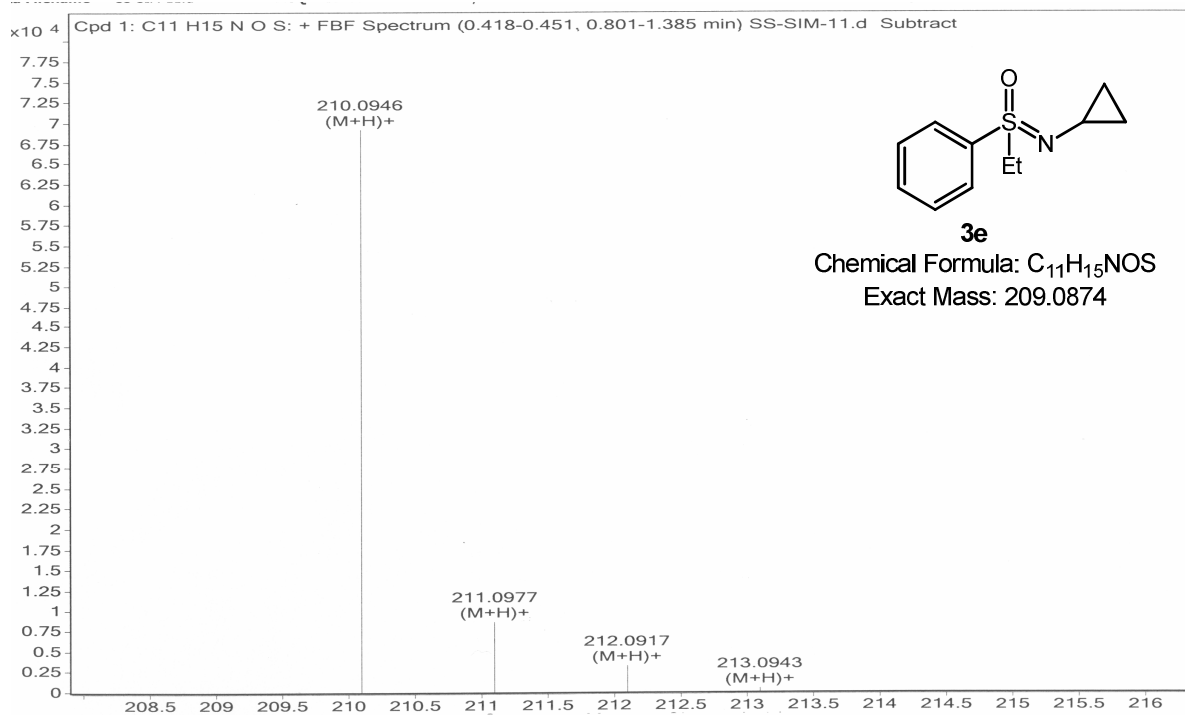
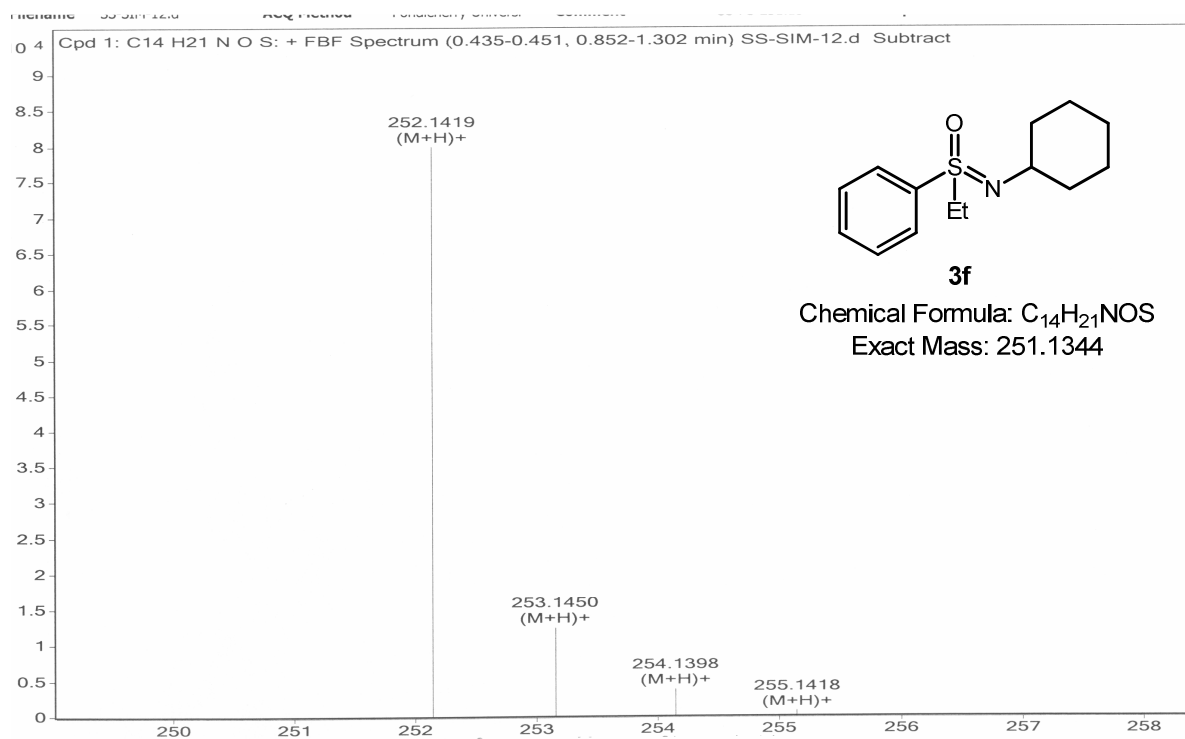


Figure 8.20 Mass Spectroscopy of product **2t**

**Figure 8.21** Mass Spectroscopy of product **3a****Figure 8.22** Mass Spectroscopy of product **3b**

**Figure 8.23** Mass Spectroscopy of product **3c****Figure 8.24** Mass Spectroscopy of product **3d**

**Figure 8.25** Mass Spectroscopy of product **3e****Figure 8.26** Mass Spectroscopy of product **3f**

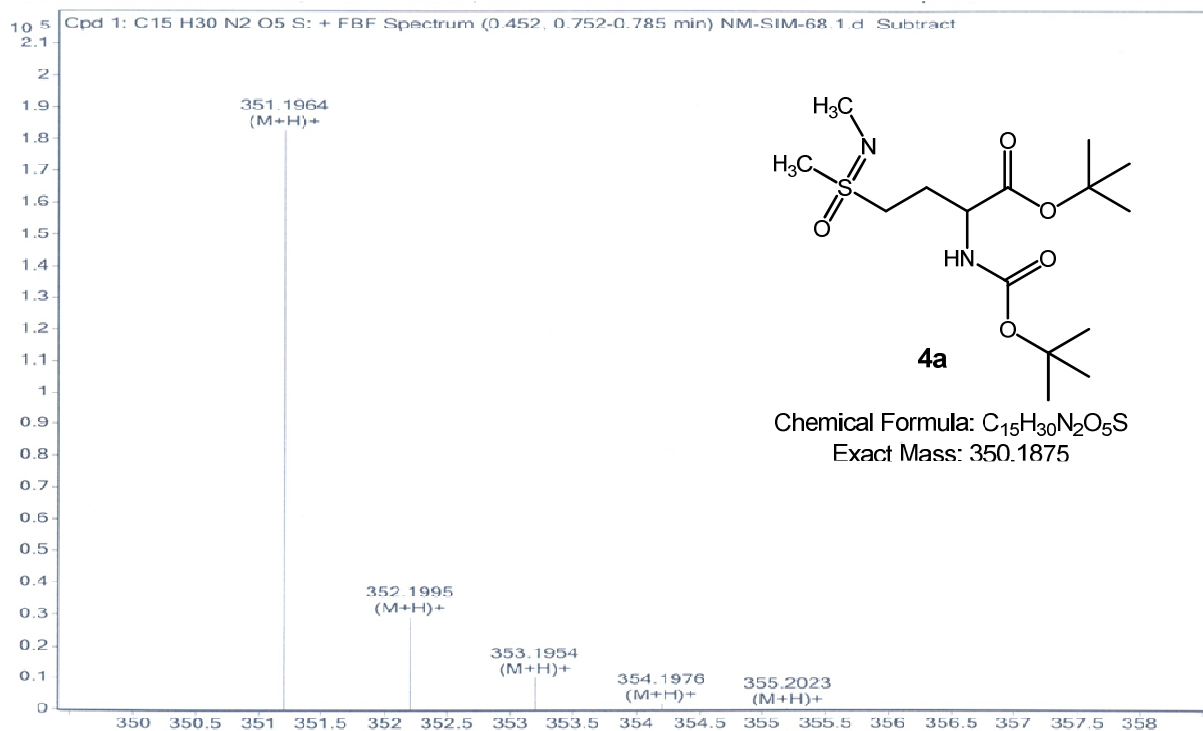


Figure 8.27 Mass Spectroscopy of product 4a

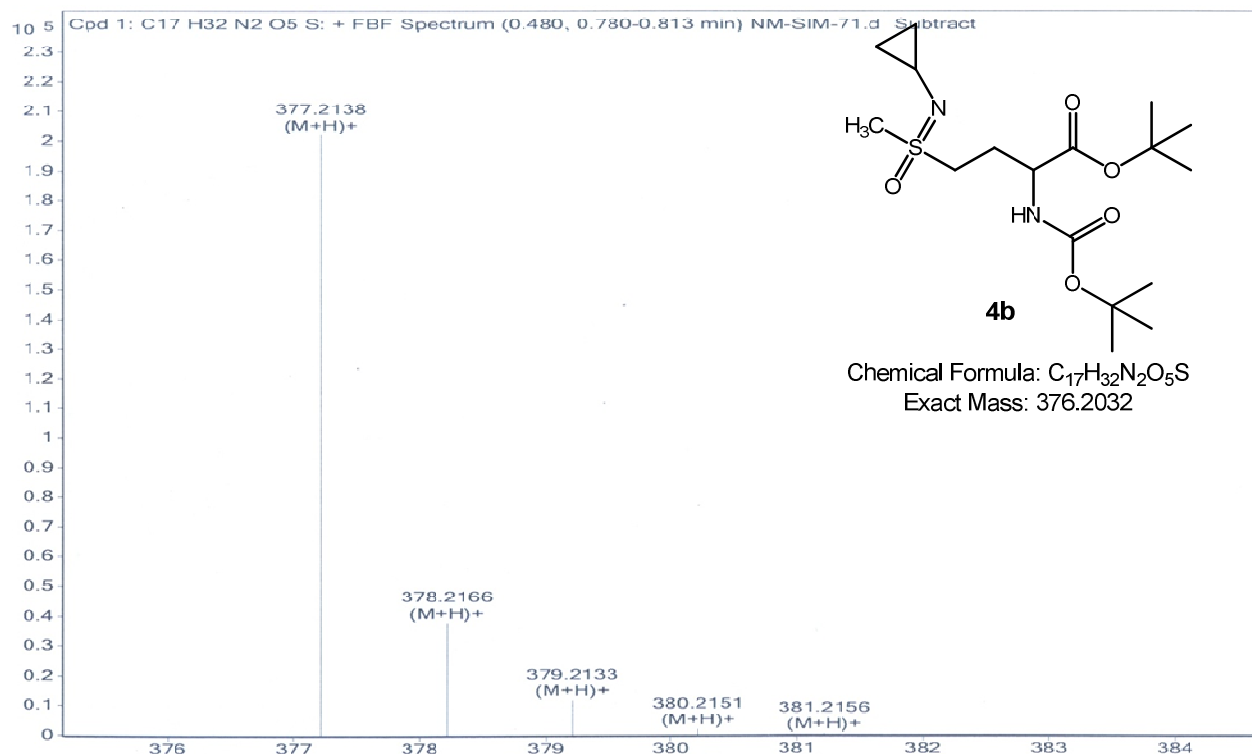


Figure 8.28 Mass Spectroscopy of product 4b