Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

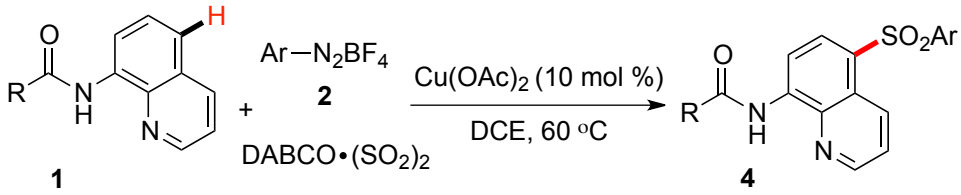
Supporting Information

1. General experimental methods (S2).
2. General experimental procedure and characterization data (S2-S12).
3. ^{1}H and ^{13}C NMR spectra of compound **3** (S13-S60).

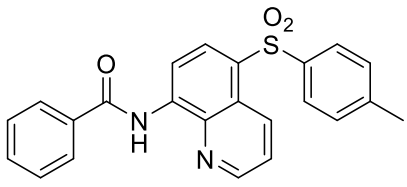
General experimental methods:

Unless otherwise stated, all commercial reagents were used as received. Flash column chromatography was performed using silica gel (60-Å pore size, 32–63µm, standard grade). Analytical thin–layer chromatography was performed using glass plates pre-coated with 0.25 mm 230–400 mesh silica gel impregnated with a fluorescent indicator (254 nm). Thin layer chromatography plates were visualized by exposure to ultraviolet light. Organic solutions were concentrated on rotary evaporators at ~20 Torr at 25–35°C. Nuclear magnetic resonance (NMR) spectra are recorded in parts per million from internal tetramethylsilane on the δ scale. ^{1}H and ^{13}C NMR spectra were recorded in $CDCl_3$ on a Bruker DRX-400 spectrometer operating at 400 MHz and 100 MHz, respectively. All chemical shift values are quoted in ppm and coupling constants quoted in Hz. High resolution mass spectrometry (HRMS) spectra were obtained on a micrOTOF II Instrument.

General experimental procedure for the copper-catalyzed C-H sulfonylation via the insertion of sulfur dioxide.

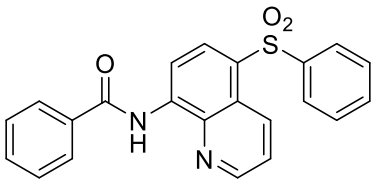


8-Aminoquinoline amide **1** (0.2 mmol), aryldiazonium tetrafluoroborate **2** (2.0 equiv.), DABCO·$(SO_2)_2$ **3** (1.5 equiv.), and $Cu(OAc)_2$ (10 mol %) were added to a dry tube under N_2 atmosphere. Then DCE (1.0 mL) was added as the solvent. The mixture was stirred at 60 °C for 4 hours. After completion of reaction as indicated by TLC, the solvent was evaporated. The residue was purified directly by flash column chromatography (EtOAc/*n*-hexane, 1:4) to give the desired product **4**.



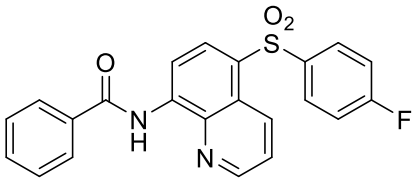
N-(5-tosylquinolin-8-yl)benzamide **4a**[1]

Yield: 60%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.32 (s, 3H), 7.25 (d, *J* = 8.0 Hz, 2H), 7.48-7.56 (m, 4H), 7.85 (d, *J* = 8.0 Hz, 2H), 8.03 (d, *J* = 7.2 Hz, 2H), 8.52 (d, *J* = 8.4 Hz, 1H), 8.83 (d, *J* = 3.1 Hz, 1H), 9.00 (d, *J* = 8.4 Hz, 1H), 9.05 (d, *J* = 8.5 Hz, 1H), 10.98 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.5, 114.0, 123.4, 124.1, 127.2, 127.3, 128.9, 129.3, 129.9, 131.8, 132.4, 133.3, 134.1, 138.3, 138.9, 139.8, 144.2, 148.8, 165.4.



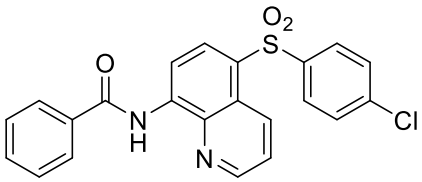
N-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4b**[1]

Yield: 67%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.61 (m, 7H), 7.96 (d, *J* = 7.5 Hz, 2H), 8.06 (d, *J* = 7.3 Hz, 2H), 8.58 (d, *J* = 8.4 Hz, 1H), 8.87 (d, *J* = 3.5 Hz, 1H), 9.04-9.07 (m, 2H), 10.98 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.2, 123.4, 124.3, 127.2, 127.4, 128.8, 128.9, 129.3, 132.3, 132.5, 133.2, 133.4, 134.2, 138.4, 140.1, 141.9, 148.8, 165.7.



N-(5-((4-fluorophenyl)sulfonyl)quinolin-8-yl)benzamide **4c**[2]

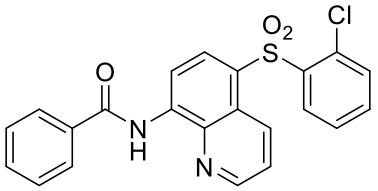
Yield: 55%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.16 (t, *J* = 7.9 Hz, 2H), 7.57-7.62 (m, 4H), 7.98 (s, 2H), 8.07 (d, *J* = 6.9 Hz, 2H), 8.56 (d, *J* = 8.2 Hz, 1H), 8.90 (s, 1H), 9.05 (d, *J* = 8.0 Hz, 2H), 10.98 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.2, 116.6 (d, $^{2}J_{C\text{-}F}$ = 22.7 Hz), 123.5, 124.2, 127.4, 128.6, 129.0, 130.0 (d, $^{3}J_{C\text{-}F}$ = 9.5 Hz), 132.3, 132.5, 133.2, 134.2, 137.9, 138.4, 140.2, 148.8, 165.3 (d, $^{1}J_{C\text{-}F}$ = 256.3 Hz), 165.7.



N-(5-((4-chlorophenyl)sulfonyl)quinolin-8-yl)benzamide **4d**[1]

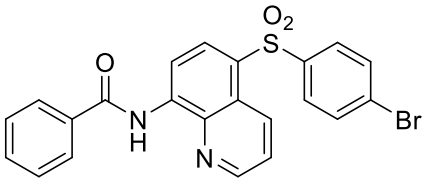
Yield: 45%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, *J* = 8.5 Hz, 2H), 7.55-7.64 (m, 4H),

7.89 (d, *J* = 8.5 Hz, 2H), 8.07 (d, *J* = 7.4 Hz, 2H), 8.57 (d, *J* = 8.4 Hz, 1H), 8.90 (d, *J* = 3.7 Hz, 1H), 9.04 (dd, J_1= 11.5 Hz, J_1= 8.7 Hz, 2H), 10.99 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.2, 123.5, 124.2, 127.4, 128.3, 128.6, 128.9, 129.6, 132.5, 133.2, 134.2, 138.4, 139.8, 140.3, 140.4, 148.9, 165.7.



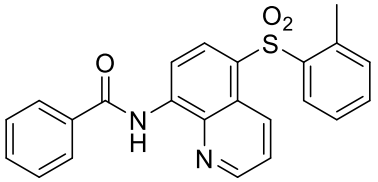
N-(5-((2-chlorophenyl)sulfonyl)quinolin-8-yl)benzamide **4e**

Yield: 72%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 7.4 Hz, 1H), 7.49-7.64 (m, 6H), 8.07 (d, *J* = 7.3 Hz, 2H), 8.51 (d, *J* = 6.9 Hz, 1H), 8.69 (d, *J* = 8.5 Hz, 1H), 8.85 (dd, J_1= 12.8 Hz, J_1= 6.2 Hz, 2H), 9.08 (d, *J* = 8.5 Hz, 1H), 11.01 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 113.8, 123.3, 124.3, 127.0, 127.3, 127.4, 128.9, 130.6, 132.2, 132.5, 132.9, 133.1, 134.3, 134.6, 134.8, 138.2, 138.9, 140.3, 148.6, 165.7; HRMS (ESI) calcd for $C_{22}H_{15}ClN_2O_3S$: ($M + H^+$) 423.0565, found: 423.0558.



N-(5-((4-bromophenyl)sulfonyl)quinolin-8-yl)benzamide **4f**[1]

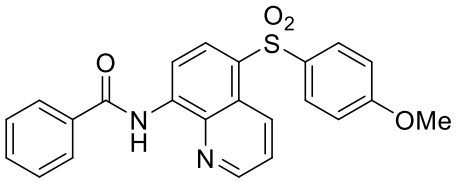
Yield: 26%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56-7.63 (m, 6H), 7.81 (d, *J* = 8.5 Hz, 2H), 8.07 (d, *J* = 7.3 Hz, 2H), 8.57 (d, *J* = 8.4 Hz, 1H), 8.90 (d, *J* = 3.5 Hz, 1H), 9.03 (d, *J* = 8.8 Hz, 1H), 9.06 (d, *J* = 8.4 Hz, 1H), 10.99 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.2, 123.5, 124.2, 127.4, 128.3, 128.4, 128.7, 128.9, 132.5, 132.5, 132.6, 133.2, 134.2, 138.4, 140.3, 140.9, 148.9, 165.7.



N-(5-(*o*-tolylsulfonyl)quinolin-8-yl)benzamide **4g**[1]

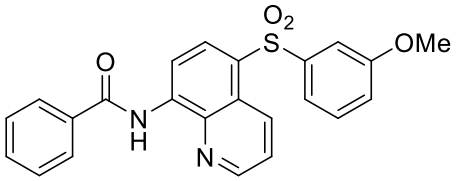
Yield: 41%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.43 (s, 3H), 7.21 (d, *J* = 7.1 Hz, 1H), 7.41-7.49 (m, 2H), 7.52-7.64 (m, 4H), 8.08 (d, *J* = 7.4 Hz, 2H), 8.30 (d, *J* = 7.6 Hz, 1H), 8.54 (d, *J* =

8.4 Hz, 1H), 8.86 (s, 1H), 8.88 (d, *J* = 2.3 Hz, 1H), 9.06 (d, *J* = 8.4 Hz, 1H), 10.99 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.1, 113.8, 123.3, 124.2, 126.5, 127.4, 128.5, 128.8, 128.9, 132.5, 132.7, 132.9, 133.3, 133.6, 134.3, 138.1, 138.4, 139.6, 139.9, 148.8, 165.7.



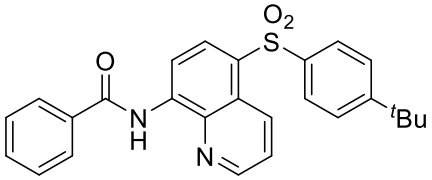
N-(5-((4-methoxyphenyl)sulfonyl)quinolin-8-yl)benzamide **4h**[1]

Yield: 61%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.81 (s, 3H), 6.94 (d, *J* = 8.9 Hz, 2H), 7.56-7.61 (m, 4H), 7.89 (d, *J* = 8.8 Hz, 2H), 8.06 (d, *J* = 7.3 Hz, 2H), 8.52 (d, *J* = 8.4 Hz, 1H), 8.87 (d, *J* = 3.4 Hz, 1H), 9.02 (d, *J* = 8.4 Hz, 1H), 9.08 (d, *J* = 8.6 Hz, 1H), 10.96 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.6, 114.2, 114.5, 123.3, 124.1, 127.4, 128.9, 129.5, 129.7, 131.7, 132.4, 133.3, 133.5, 134.3, 138.5, 139.7, 148.7, 163.3, 165.7.



N-(5-((3-methoxyphenyl)sulfonyl)quinolin-8-yl)benzamide **4i**

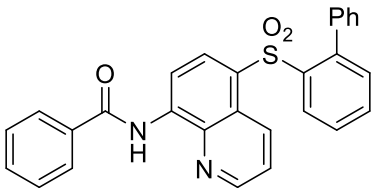
Yield: 54%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.81 (s, 3H), 7.04 (dd, J_1 = 8.2 Hz, J_2 = 2.2 Hz, 1H), 7.37 (t, *J* = 8.0 Hz, 1H), 7.45 (s, 1H), 7.51 (t, *J* = 8.1 Hz, 1H), 7.55-7.62 (m, 4H), 8.07 (d, *J* = 7.2 Hz, 2H), 8.57 (d, *J* = 8.4 Hz, 1H), 8.88 (d, *J* = 3.0 Hz, 1H), 9.04-9.08 (m, 2H), 10.99 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.7, 111.9, 114.2, 119.3, 119.4, 123.4, 124.3, 127.4, 128.8, 128.9, 130.3, 132.3, 132.5, 133.5, 134.3, 138.4, 140.1, 143.0, 148.8, 159.9, 165.7; HRMS (ESI) calcd for $C_{23}H_{18}N_2O_4S$: (M + H^+) 419.1060, found: 419.1065.



N-(5-((4-(*tert*-butyl)phenyl)sulfonyl)quinolin-8-yl)benzamide **4j**

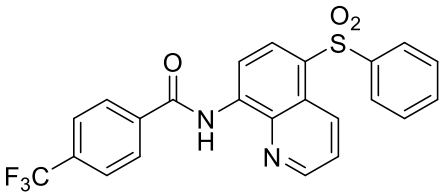
Yield: 60%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.28 (s, 9H), 7.48 (d, *J* = 8.6 Hz, 2H), 7.55-7.62

(m, 4H), 7.88 (d, *J* = 8.6 Hz, 2H), 8.07 (d, *J* = 7.0 Hz, 2H), 8.56 (d, *J* = 8.4 Hz, 1H), 8.88 (dd, J_1 = 4.1 Hz, J_1 = 1.3 Hz, 1H), 9.04 (d, *J* = 8.4 Hz, 1H), 9.13 (dd, J_1 = 8.7 Hz, J_1 = 1.4 Hz, 1H), 10.98 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 30.9, 35.1, 114.2, 123.3, 124.3, 126.3, 127.1, 127.4, 128.9, 129.4, 132.1, 132.4, 133.6, 134.3, 138.5, 138.8, 139.9, 148.7, 157.1, 165.7; HRMS (ESI) calcd for $C_{26}H_{24}N_2O_3S$: ($M + H^+$) 445.1580, found: 445.1570.



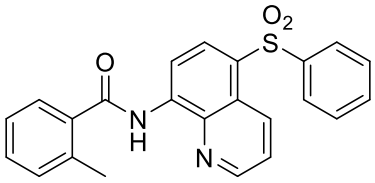
N-(5-([1,1'-biphenyl]-2-ylsulfonyl)quinolin-8-yl)benzamide **4k**

Yield: 43%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.76 (d, *J* = 7.3 Hz, 2H), 7.10-7.14 (m, 3H), 7.26-7.30 (m, 1H), 7.41 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.47 (d, *J* = 8.4 Hz, 1H), 7.55-7.66 (m, 5H), 8.08 (d, *J* = 7.0 Hz, 2H), 8.51 (d, *J* = 8.4 Hz, 1H), 8.55 (dd, J_1 = 8.7 Hz, J_2 = 1.1 Hz, 1H), 8.59 (d, *J* = 7.5 Hz, 1H), 8.80-8.81 (m, 1H), 10.90 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 113.9, 122.9, 123.6, 127.4, 127.8, 127.9, 128.0, 128.1, 128.9, 129.4, 132.4, 132.6, 132.8, 132.9, 134.3, 137.6, 137.9, 139.1, 140.4, 141.9, 148.3, 165.4; HRMS (ESI) calcd for $C_{28}H_{20}N_2O_3S$: ($M + H^+$) 465.1267, found: 465.1278.



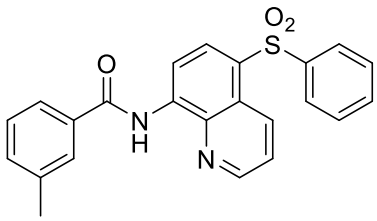
N-(5-(phenylsulfonyl)quinolin-8-yl)-4-(trifluoromethyl)benzamide **4l**

Yield: 82%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47-7.51 (m, 2H), 7.53-7.56 (m, 1H), 7.60 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.83 (d, *J* = 8.2 Hz, 2H), 7.95-7.97 (m, 2H), 8.18 (d, *J* = 8.1 Hz, 2H), 8.58 (d, *J* = 8.4 Hz, 1H), 8.88 (dd, J_1 = 4.2 Hz, J_2 = 1.5 Hz, 1H), 9.02 (d, *J* = 8.4 Hz, 1H), 9.08 (dd, J_1 = 8.7 Hz, J_2 = 1.5 Hz, 1H), 11.00 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.4, 123.5, 123.6 (q, $^1J_{CF}$ = 271.1 Hz), 124.2, 126.0 (q, $^3J_{CF}$ = 3.6 Hz), 127.0, 127.9, 129.3, 129.5, 132.1, 133.2, 133.5, 134.3 (q, $^2J_{CF}$ = 32.6 Hz), 137.5, 138.3, 139.5, 141.8, 148.9, 164.3; HRMS (ESI) calcd for $C_{23}H_{15}F_3N_2O_3S$: ($M + H^+$) 457.0828, found: 457.0831.



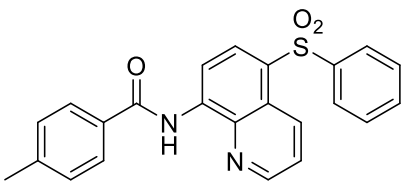
2-Methyl-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4m**

Yield: 71%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.59 (s, 3H), 7.33 (t, *J* = 7.4 Hz, 2H), 7.43 (t, *J* = 7.1 Hz, 1H), 7.48 (t, *J* = 7.3 Hz, 2H), 7.52-7.58 (m, 2H), 7.67 (d, *J* = 7.5 Hz, 1H), 7.96 (d, *J* = 7.2 Hz, 2H), 8.59 (d, *J* = 8.4 Hz, 1H), 8.80 (dd, J_1 = 4.1 Hz, J_2 = 1.3 Hz, 1H), 9.06 (d, *J* = 8.5 Hz, 2H), 10.48 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 20.3, 114.1, 123.4, 124.3, 126.1, 127.1, 127.2, 128.9, 129.3, 130.9, 131.6, 132.3, 133.2, 133.4, 135.6, 137.1, 138.3, 140.2, 141.9, 148.8, 168.3; HRMS (ESI) calcd for $C_{22}H_{16}N_2O_3S$: ($M + H^+$) 403.1111, found: 403.1107.



3-Methyl-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4n**

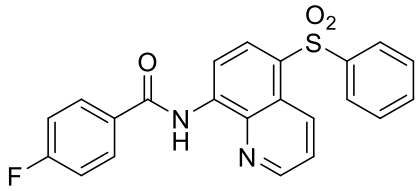
Yield: 77%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.48 (s, 3H), 7.40-7.50 (m, 4H), 7.52-7.55 (m, 1H), 7.58 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.84 (d, *J* = 6.9 Hz, 1H), 7.86 (s, 1H), 7.95-7.97 (m, 2H), 8.58 (d, *J* = 8.4 Hz, 1H), 8.88 (dd, J_1 = 4.2 Hz, J_2 = 1.4 Hz, 1H), 9.03-9.07 (m, 2H), 10.94 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.5, 114.2, 123.4, 124.3, 127.2, 128.2, 128.8, 129.3, 129.7, 131.4, 132.3, 133.1, 133.2, 133.4, 134.2, 136.4, 138.4, 138.9, 140.1, 141.9, 148.8, 165.9; HRMS (ESI) calcd for $C_{23}H_{18}N_2O_3S$: ($M + H^+$) 403.1111, found: 403.1114.



4-Methyl-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4o**

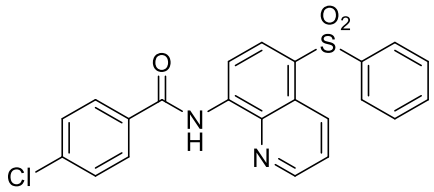
Yield: 70%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.45 (s, 3H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.46-7.49 (m, 2H), 7.51-7.54 (m, 1H), 7.57 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.92-7.96 (m, 4H),

8.57 (d, J = 8.4 Hz, 1H), 8.87 (dd, J_1 = 4.1 Hz, J_2 = 1.4 Hz, 1H), 9.03-9.07 (m, 2H), 10.94 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.6, 114.1, 123.4, 124.3, 127.2, 127.4, 128.6, 129.3, 129.6, 131.4, 132.3, 133.1, 133.4, 138.4, 140.2, 141.9, 143.2, 148.7, 165.6; HRMS (ESI) calcd for $C_{23}H_{18}N_2O_3S$: (M + H^+) 403.1111, found: 403.1111.



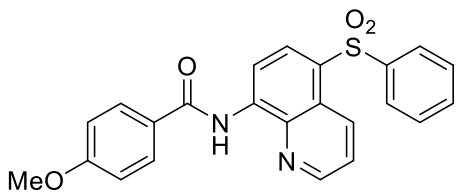
4-Fluoro-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4p**

Yield: 78%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 (t, J = 8.5 Hz, 2H), 7.46-7.54 (m, 3H), 7.58 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.95-7.97 (m, 2H), 8.06-8.10 (m, 2H), 8.57 (d, J = 8.4 Hz, 1H), 8.87 (dd, J_1 = 4.2 Hz, J_2 = 1.4 Hz, 1H), 9.00 (d, J = 8.4 Hz, 1H), 9.06 (dd, J_1 = 8.7 Hz, J_2 = 1.4 Hz, 1H), 10.91 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.2, 116.1 (d, $^2J_{CF}$ = 22.0 Hz), 123.5, 124.2, 127.2, 129.0, 129.3, 129.9 (d, $^3J_{CF}$ = 9.2 Hz), 130.4 (d, $^4J_{CF}$ = 3.1 Hz), 132.2, 133.2, 133.4, 138.3, 139.9, 141.8, 148.8, 164.5, 165.3 (d, $^1J_{CF}$ = 253.7 Hz); HRMS (ESI) calcd for $C_{22}H_{15}FN_2O_3S$: (M + H^+) 407.0860, found: 407.0866.



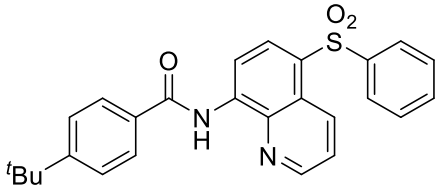
4-Chloro-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4q**

Yield: 83%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.54 (m, 5H), 7.59 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.95-7.97 (m, 2H), 7.98-8.01 (m, 2H), 8.56 (d, J = 8.4 Hz, 1H), 8.87 (dd, J_1 = 4.2 Hz, J_2 = 1.5 Hz, 1H), 9.00 (d, J = 8.4 Hz, 1H), 9.06 (dd, J_1 = 8.7 Hz, J_2 = 1.5 Hz, 1H), 10.92 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.3, 123.5, 124.2, 127.2, 128.8, 129.1, 129.2, 129.3, 132.2, 132.6, 133.2, 133.5, 138.3, 138.8, 139.8, 141.8, 148.9, 164.5; HRMS (ESI) calcd for $C_{22}H_{15}ClN_2O_3S$: (M + H^+) 423.0565, found: 423.0561.



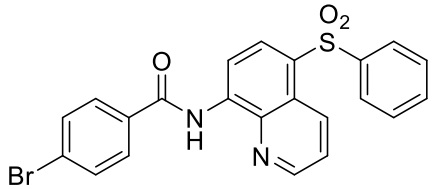
4-Methoxy-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4r**

Yield: 41%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.90 (s, 3H), 7.04 (d, *J* = 8.8 Hz, 2H), 7.46-7.53 (m, 3H), 7.57 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.96 (d, *J* = 7.3 Hz, 2H), 8.04 (d, *J* = 8.8 Hz, 2H), 8.57 (d, *J* = 8.4 Hz, 1H), 8.87 (dd, J_1 = 4.0 Hz, J_2 = 1.1 Hz, 1H), 9.03 (d, *J* = 8.5 Hz, 1H), 9.05 (dd, J_1 = 8.9 Hz, J_2 = 1.2 Hz, 1H), 10.91 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.5, 113.9, 114.1, 123.4, 124.3, 126.5, 127.1, 128.4, 129.3, 129.4, 132.4, 133.1, 133.4, 138.4, 140.3, 141.9, 148.7, 162.9, 165.2; HRMS (ESI) calcd for $C_{23}H_{18}N_2O_4S$: (M + H^+) 419.1060, found: 419.1060.



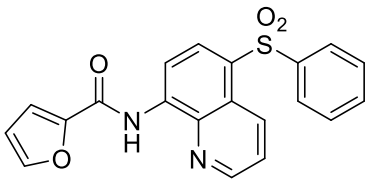
4-(*tert*-Butyl)-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4s**

Yield: 52%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.38 (s, 9H), 7.46-7.53 (m, 3H), 7.56-7.60 (m, 3H), 7.95-7.97 (m, 2H), 8.01 (d, *J* = 8.5 Hz, 2H), 8.58 (d, *J* = 8.4 Hz, 1H), 8.87 (dd, J_1 = 4.2 Hz, J_2 = 1.4 Hz, 1H), 9.04-9.08 (m, 2H), 10.97 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 31.1, 35.1, 114.1, 123.4, 124.3, 125.9, 127.2, 127.3, 128.6, 129.3, 131.4, 132.4, 133.1, 133.4, 138.4, 140.2, 141.9, 148.7, 156.2, 165.7; HRMS (ESI) calcd for $C_{26}H_{24}N_2O_3S$: (M + H^+) 445.1580, found: 445.1595.



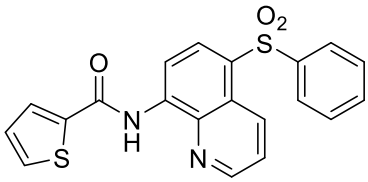
4-Bromo-*N*-(5-(phenylsulfonyl)quinolin-8-yl)benzamide **4t**

Yield: 73%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.54 (m, 3H), 7.59 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.69 (d, *J* = 8.5 Hz, 2H), 7.91-7.97 (m, 4H), 8.57 (d, *J* = 8.4 Hz, 1H), 8.87 (dd, J_1 = 4.2 Hz, J_2 = 1.4 Hz, 1H), 9.01 (d, *J* = 8.4 Hz, 1H), 9.07 (dd, J_1 = 8.7 Hz, J_2 = 1.4 Hz, 1H), 10.93 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.3, 123.5, 124.3, 127.2, 127.4, 128.9, 129.3, 129.7, 131.5, 132.2, 133.1, 133.2, 133.5, 138.4, 139.8, 141.9, 148.9, 164.7; HRMS (ESI) calcd for $C_{22}H_{15}BrN_2O_3S$: (M + H^+) 467.0060, found: 467.0060.



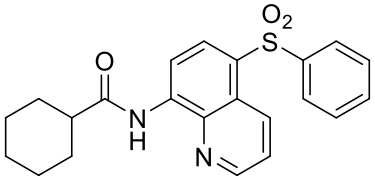
N-(5-(phenylsulfonyl)quinolin-8-yl)furan-2-carboxamide **4u**

Yield: 80%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.61 (dd, J_1 = 3.3 Hz, J_2 = 1.5 Hz, 1H), 7.34 (d, J = 3.4 Hz, 1H), 7.47-7.54 (m, 3H), 7.57 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.63 (s, 1H), 7.96 (d, J = 7.2 Hz, 2H), 8.55 (d, J = 8.4 Hz, 1H), 8.89 (d, J = 2.9 Hz, 1H), 8.98 (d, J = 8.4 Hz, 1H), 9.05 (dd, J_1 = 8.7 Hz, J_2 = 1.0 Hz, 1H), 10.99 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 112.7, 114.2, 116.2, 123.4, 124.3, 127.2, 128.9, 129.3, 132.2, 133.2, 133.3, 138.3, 139.7, 141.9, 145.1, 147.6, 148.9, 156.4; HRMS (ESI) calcd for $C_{20}H_{14}N_2O_4S$: ($M + H^+$) 379.0747, found: 379.0739.



N-(5-(phenylsulfonyl)quinolin-8-yl)thiophene-2-carboxamide **4v**

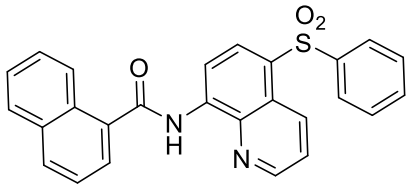
Yield: 83%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.20 (t, J = 4.2 Hz, 1H), 7.46-7.54 (m, 3H), 7.58 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.63 (d, J = 4.8 Hz, 1H), 7.84 (d, J = 3.4 Hz, 1H), 7.96 (d, J = 7.4 Hz, 2H), 8.56 (d, J = 8.4 Hz, 1H), 8.88 (d, J = 3.3 Hz, 1H), 8.95 (d, J = 8.4 Hz, 1H), 9.06 (d, J = 8.5 Hz, 1H), 10.81 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.1, 123.4, 124.3, 127.2, 128.0, 128.9, 129.1, 129.2, 131.9, 132.2, 133.1, 133.4, 138.1, 139.1, 139.8, 141.9, 148.8, 160.1; HRMS (ESI) calcd for $C_{20}H_{14}N_2O_3S_2$: ($M + H^+$) 395.0519, found: 395.0523.



N-(5-(phenylsulfonyl)quinolin-8-yl)cyclohexanecarboxamide **4w**

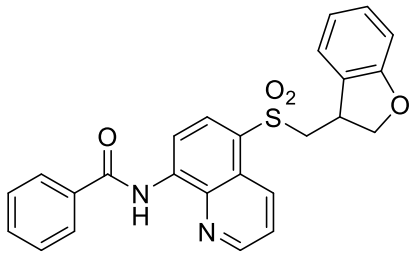
Yield: 47%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.27-1.43 (m, 3H), 1.57-1.67 (m, 2H), 1.73-1.75 (m, 1H), 1.86-1.90 (m, 2H), 2.07 (d, J = 11.9 Hz, 2H), 2.47-2.53 (m, 1H), 7.44-7.52 (m, 3H), 7.55 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 7.92-7.94 (m, 2H), 8.52 (d, J =

8.4 Hz, 1H), 8.83 (dd, J_1 = 4.1 Hz, J_2 = 1.3 Hz, 1H), 8.91 (d, J = 8.4 Hz, 1H), 9.03 (dd, J_1 = 8.7 Hz, J_2 = 1.3 Hz, 1H), 10.13 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 25.5, 25.6, 29.6, 46.8, 114.0, 123.3, 124.2, 127.1, 128.3, 129.2, 129.7, 131.4, 132.3, 133.1, 133.3, 138.1, 140.2, 141.9, 148.6, 175.3; HRMS (ESI) calcd for $C_{22}H_{22}N_2O_3S$: (M + H^+) 395.1424, found: 395.1437.



N-(5-(phenylsulfonyl)quinolin-8-yl)-1-naphthamide **4x**

Yield: 68%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.60 (m, 7H), 7.89-7.92 (m, 2H), 7.97 (d, J = 7.3 Hz, 2H), 8.01 (d, J = 8.3 Hz, 1H), 8.49 (d, J = 8.0 Hz, 1H), 8.63 (d, J = 8.4 Hz, 1H), 8.75 (d, J = 3.1 Hz, 1H), 9.06 (d, J = 8.4 Hz, 1H), 9.16 (d, J = 8.4 Hz, 1H), 10.67 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 114.3, 123.4, 124.3, 124.8, 125.2, 125.8, 126.7, 127.2, 127.6, 128.5, 129.1, 129.3, 130.2, 131.8, 132.3, 133.2, 133.3, 133.6, 133.9, 138.3, 140.2, 141.9, 148.8, 167.9; HRMS (ESI) calcd for $C_{26}H_{18}N_2O_3S$: (M + Na^+) 461.0930, found: 461.0924.



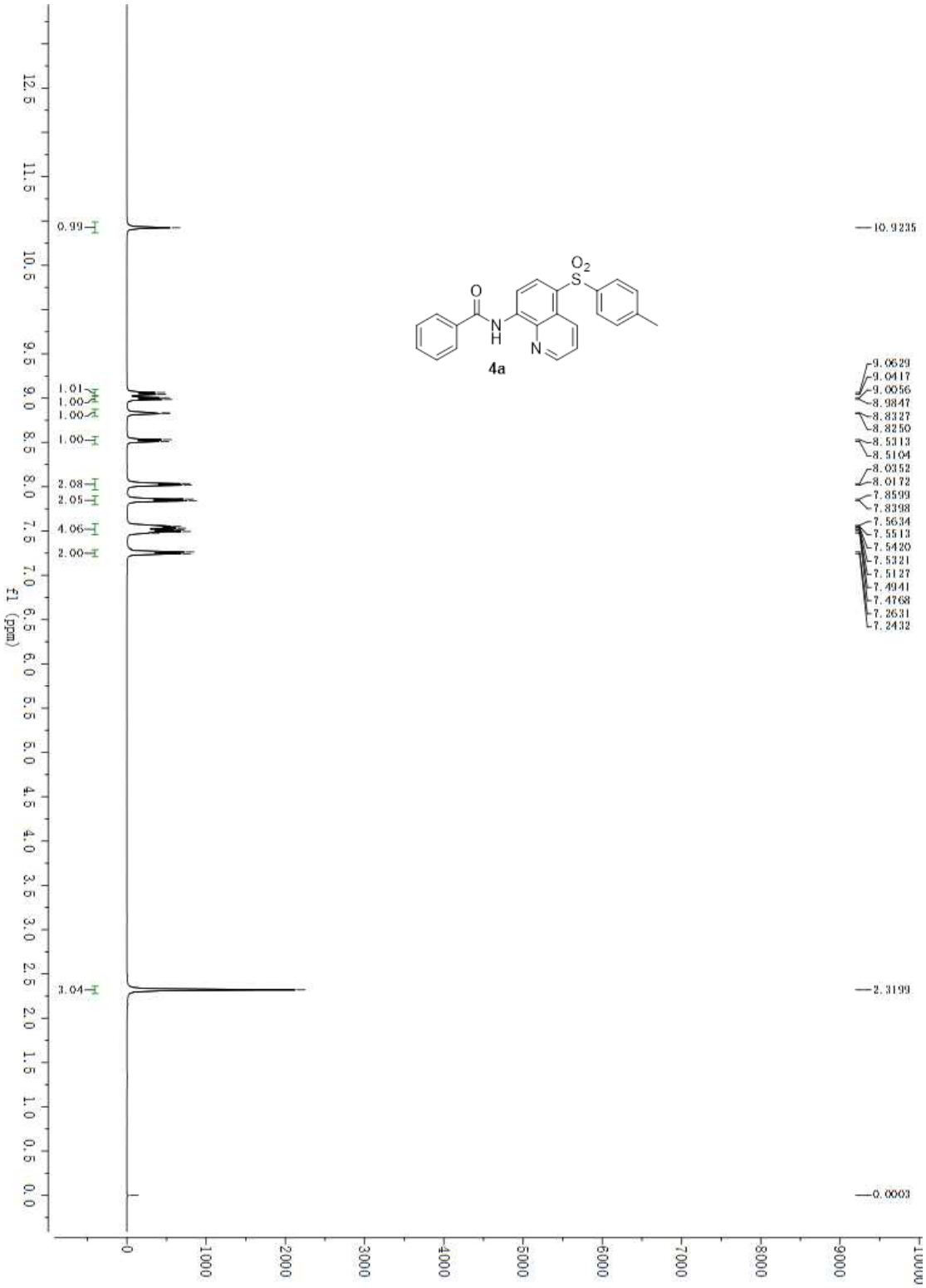
N-(5-(((2,3-dihydrobenzofuran-3-yl)methyl)sulfonyl)quinolin-8-yl)benzamide

^{1}H NMR (400 MHz, $CDCl_3$) δ 3.46 (dd, J_1 = 14.1 Hz, J_2 = 10.6 Hz, 1H), 3.57 (dd, J_1 = 14.2 Hz, J_2 = 2.9 Hz, 1H), 4.10 (dd, J_1 = 18.3 Hz, J_2 = 9.3 Hz, 1H), 4.50 (dd, J_1 = 9.7 Hz, J_2 = 6.2 Hz, 1H), 4.72 (t, J = 9.2 Hz, 1H), 6.78 (d, J = 8.1 Hz, 1H), 6.81 (t, J = 7.5 Hz, 1H), 7.06 (d, J = 7.4 Hz, 1H), 7.12 (t, J = 7.7 Hz, 1H), 7.56-7.66 (m, 3H), 7.72 (dd, J_1 = 8.7 Hz, J_2 = 4.2 Hz, 1H), 8.09 (d, J = 7.1 Hz, 2H), 8.43 (d, J = 8.4 Hz, 1H), 8.99 (dd, J_1 = 4.1 Hz, J_2 = 1.3 Hz, 1H), 9.07 (d, J = 8.4 Hz, 1H), 9.16 (dd, J_1 = 8.7 Hz, J_2 = 1.3 Hz, 1H), 11.03 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 36.9, 60.9, 75.7, 110.1, 114.3, 120.9, 123.9, 124.0, 124.6, 126.7, 126.9, 127.4, 128.9, 129.4, 132.6, 133.0, 133.2, 134.2, 138.4, 140.6,

149.1, 159.6, 165.7; HRMS (ESI) calcd for $C_{25}H_{20}N_2O_4S$: ($M + H^+$) 445.1217, found: 445.1209.

References：

1. H.-W. Liang, K. Jiang, W. Ding, Y. Yuan, L. Shuai, Y.-C. Chen, Y. Wei, *Chem. Commun.,* **2015**, *51*, 16928.
2. C. Xia, K. Wang, J. Xu, Z. Wei, C. Shen, G. Duan, Q. Zhu, P. Zhang, *RSC Adv.*, **2016**, *6*, 37173.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9.0056
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8.8327
8.8250
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7.5513
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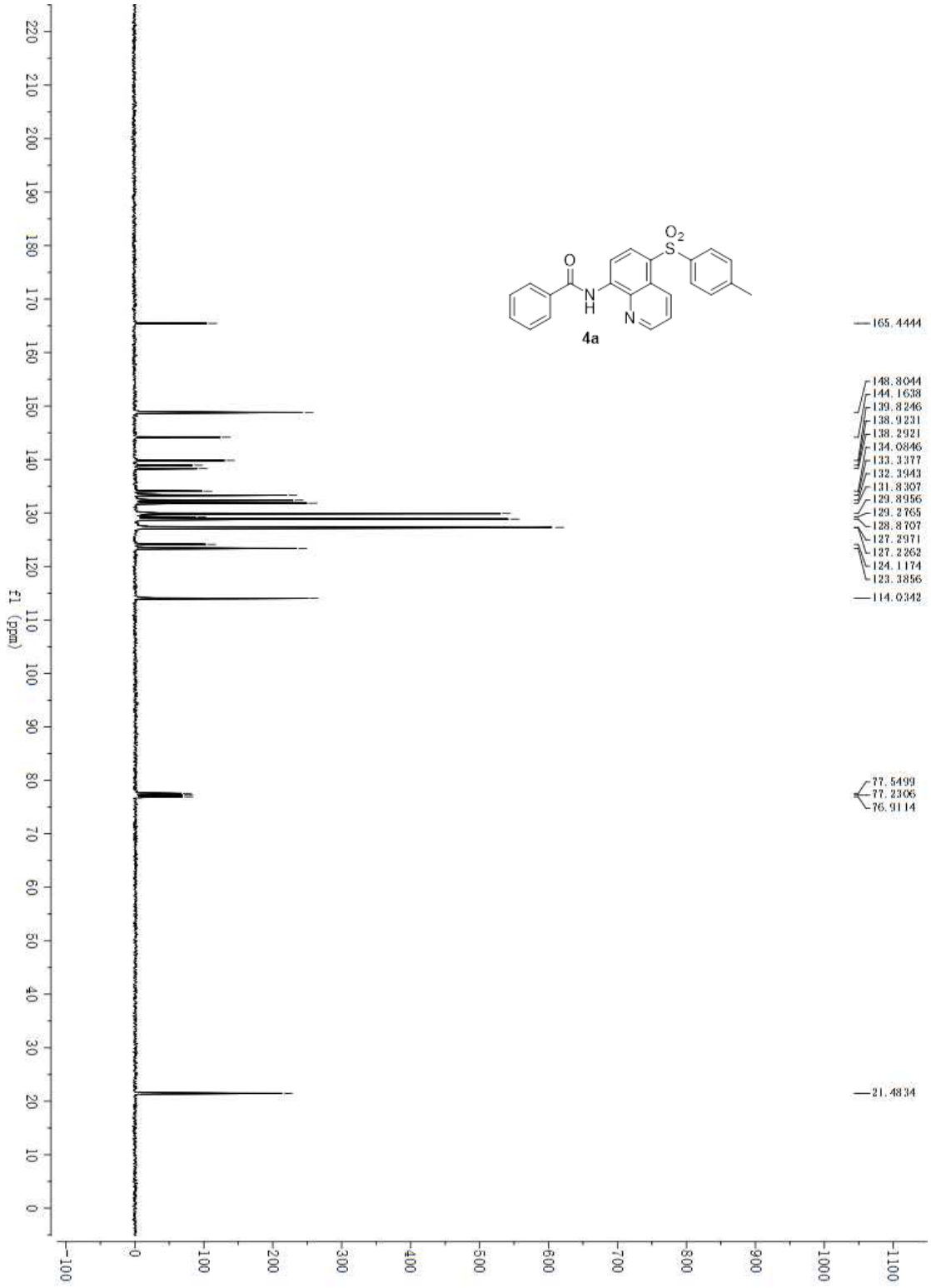
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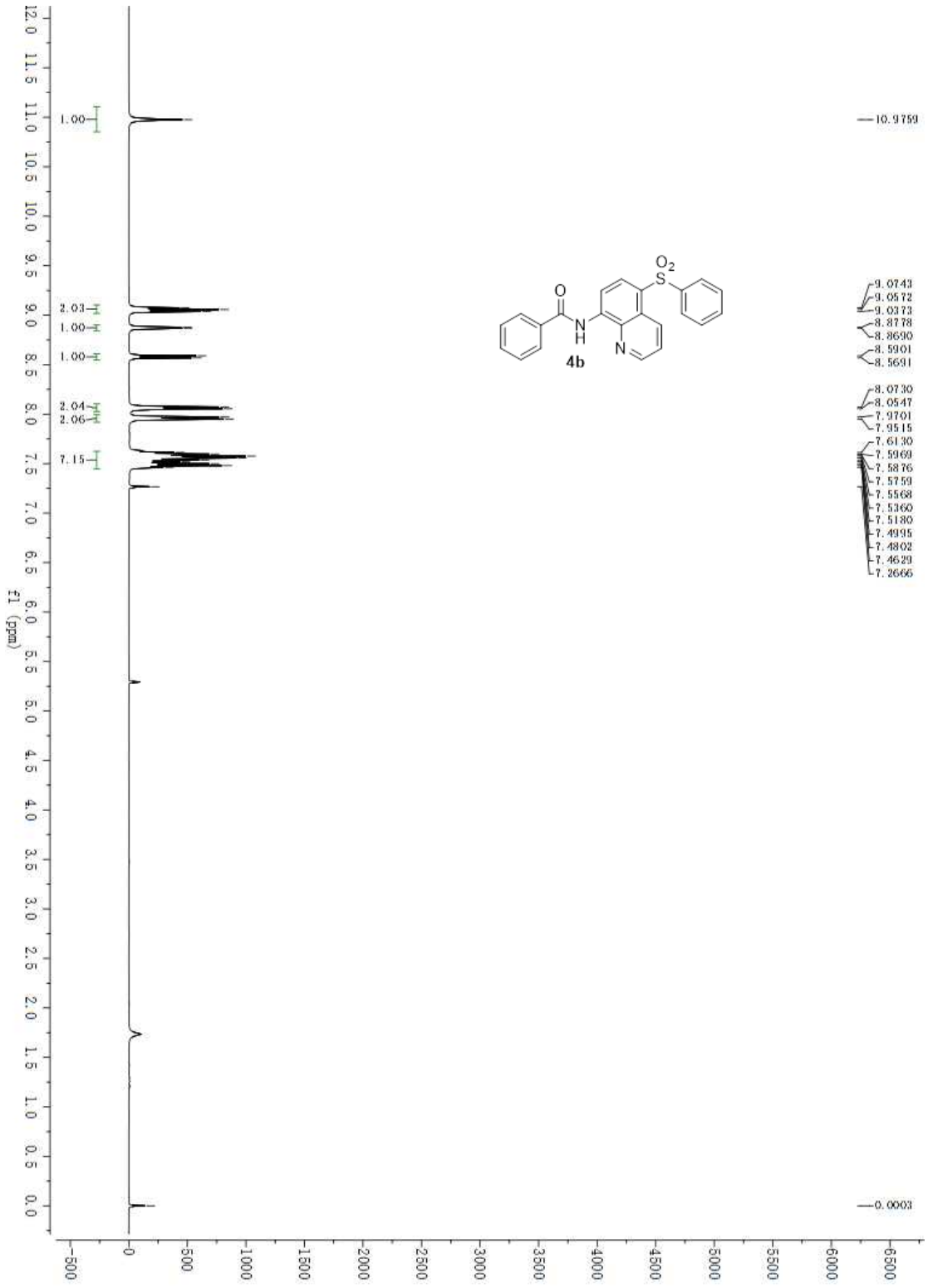
f1 (ppm)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7.9701
7.9515
7.6130
7.5969
7.5876
7.5759
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7.5360
7.5180
7.4995
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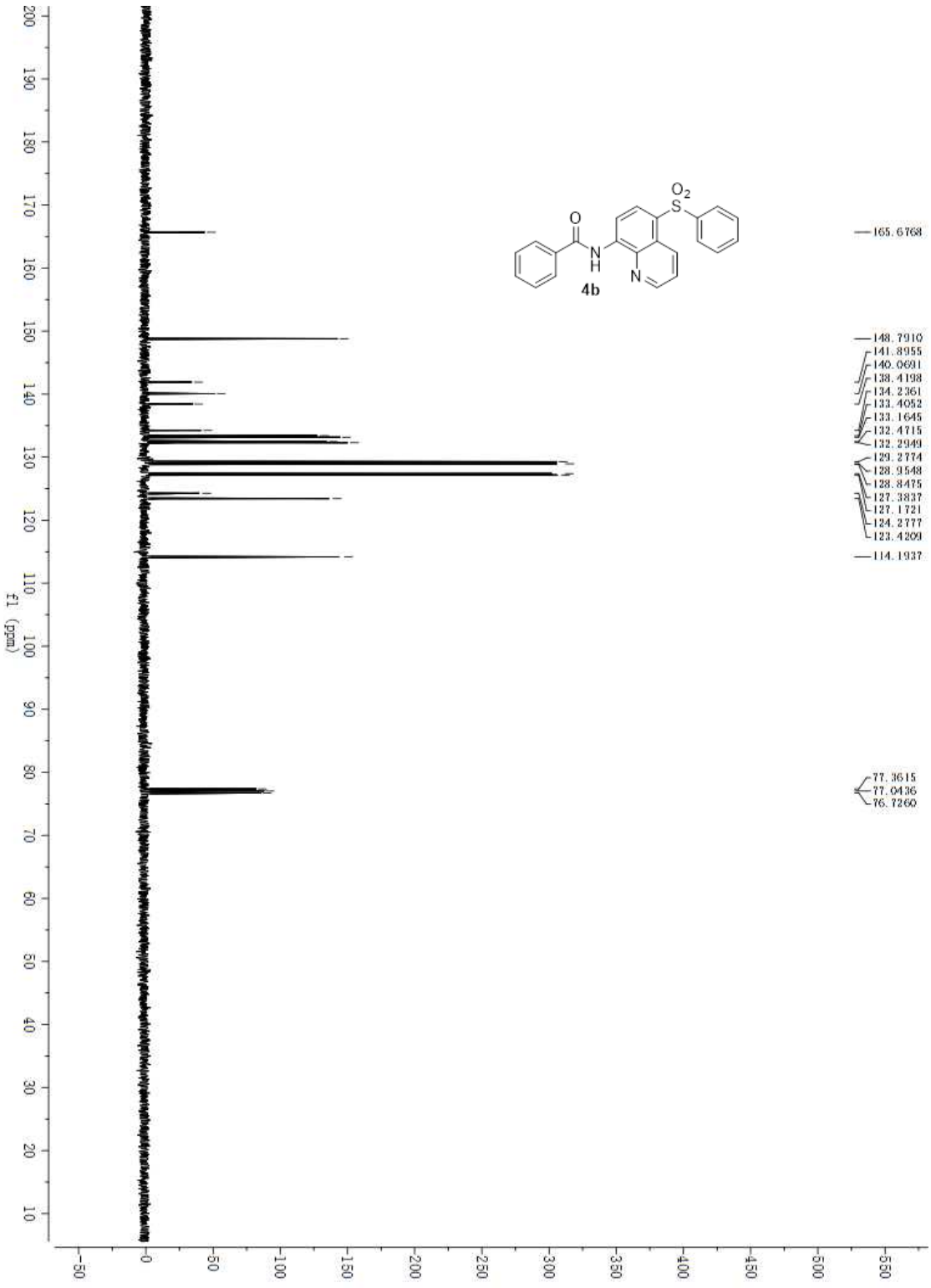
2.04
2.06
7.15
f1 (ppm)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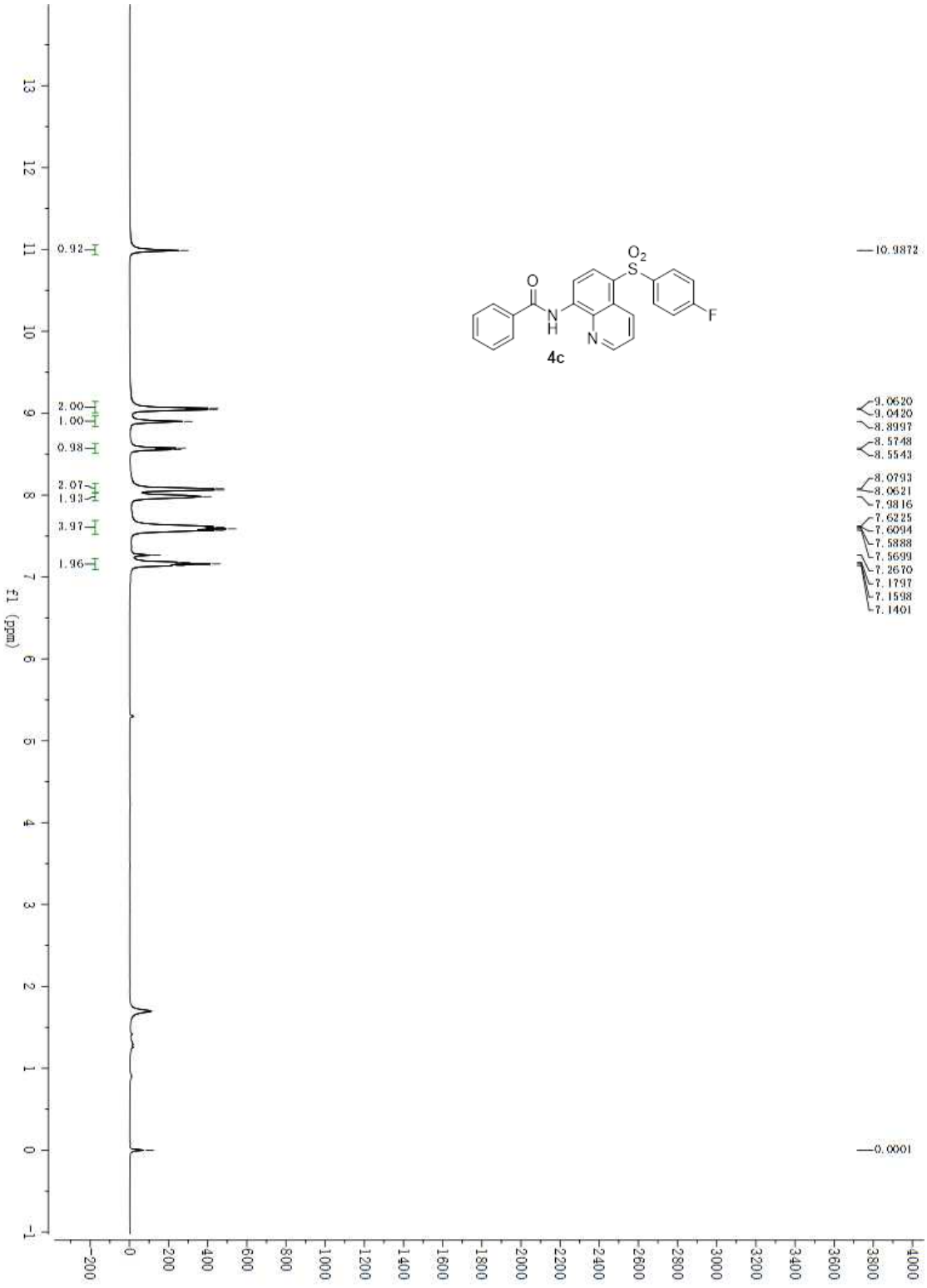
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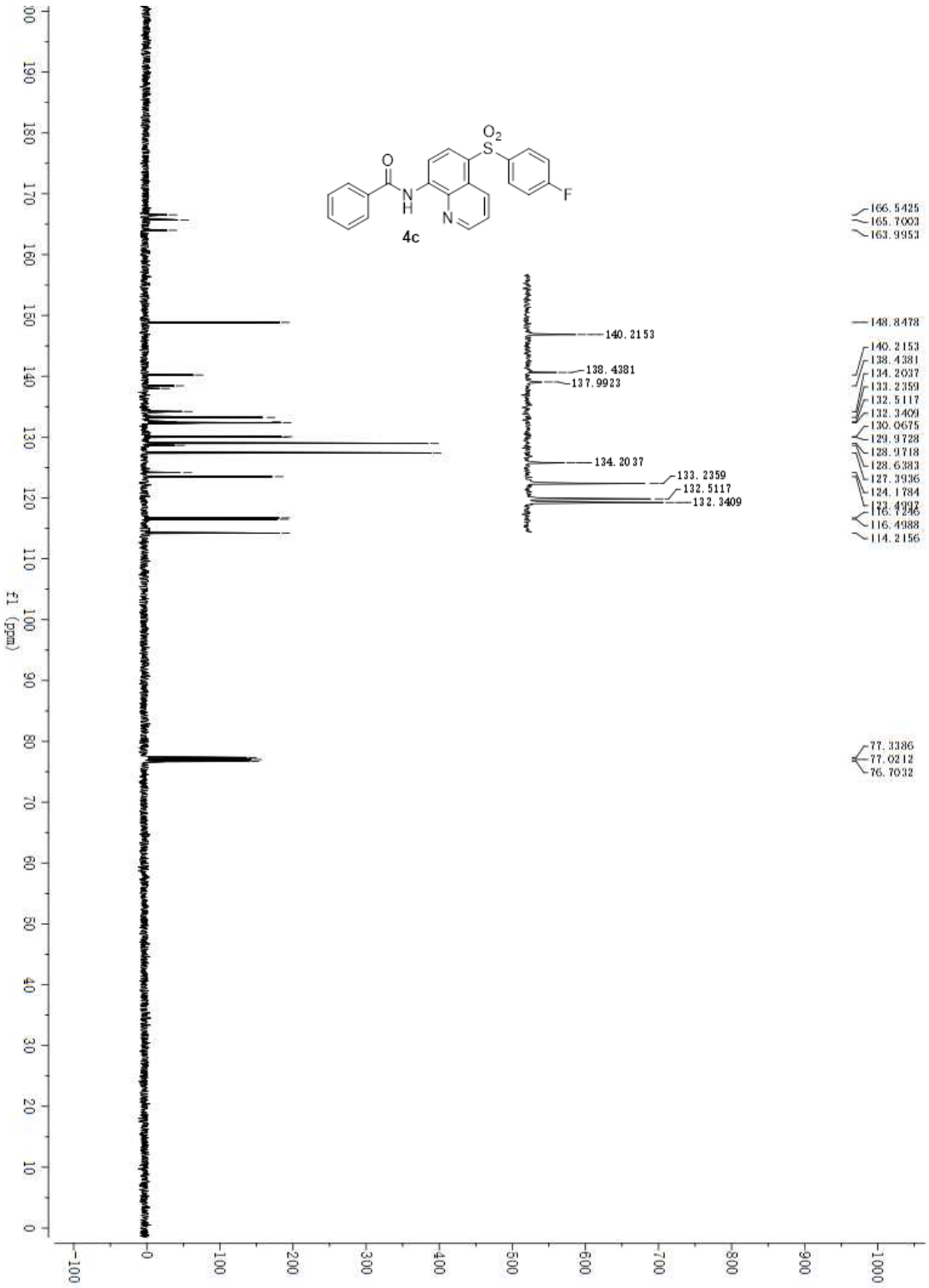
f1 (ppm)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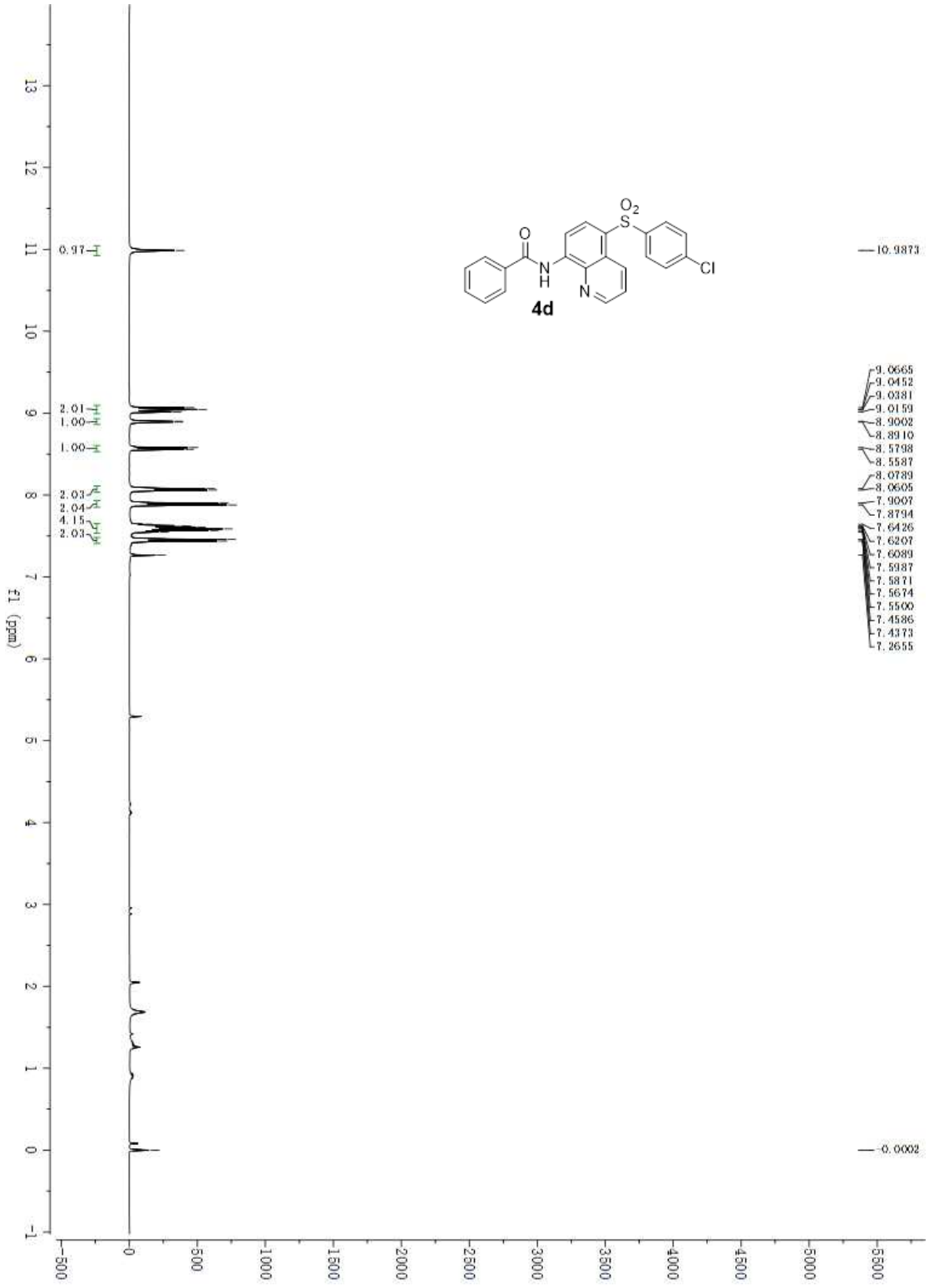
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7.6207
7.6089
7.5987
7.5871
7.5674
7.5500
7.4586
7.4373
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1.00
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2.04
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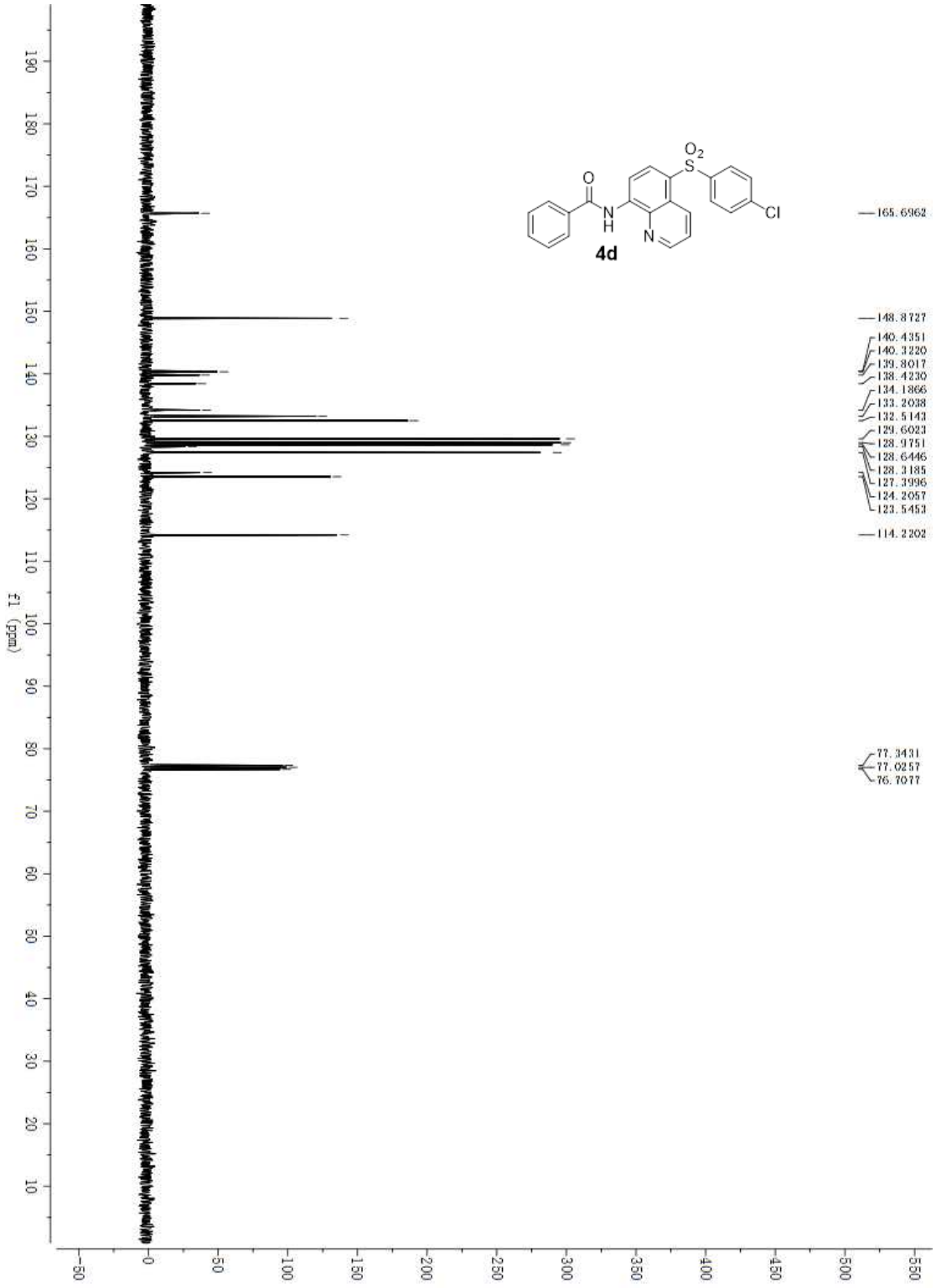
2.03
f1 (ppm)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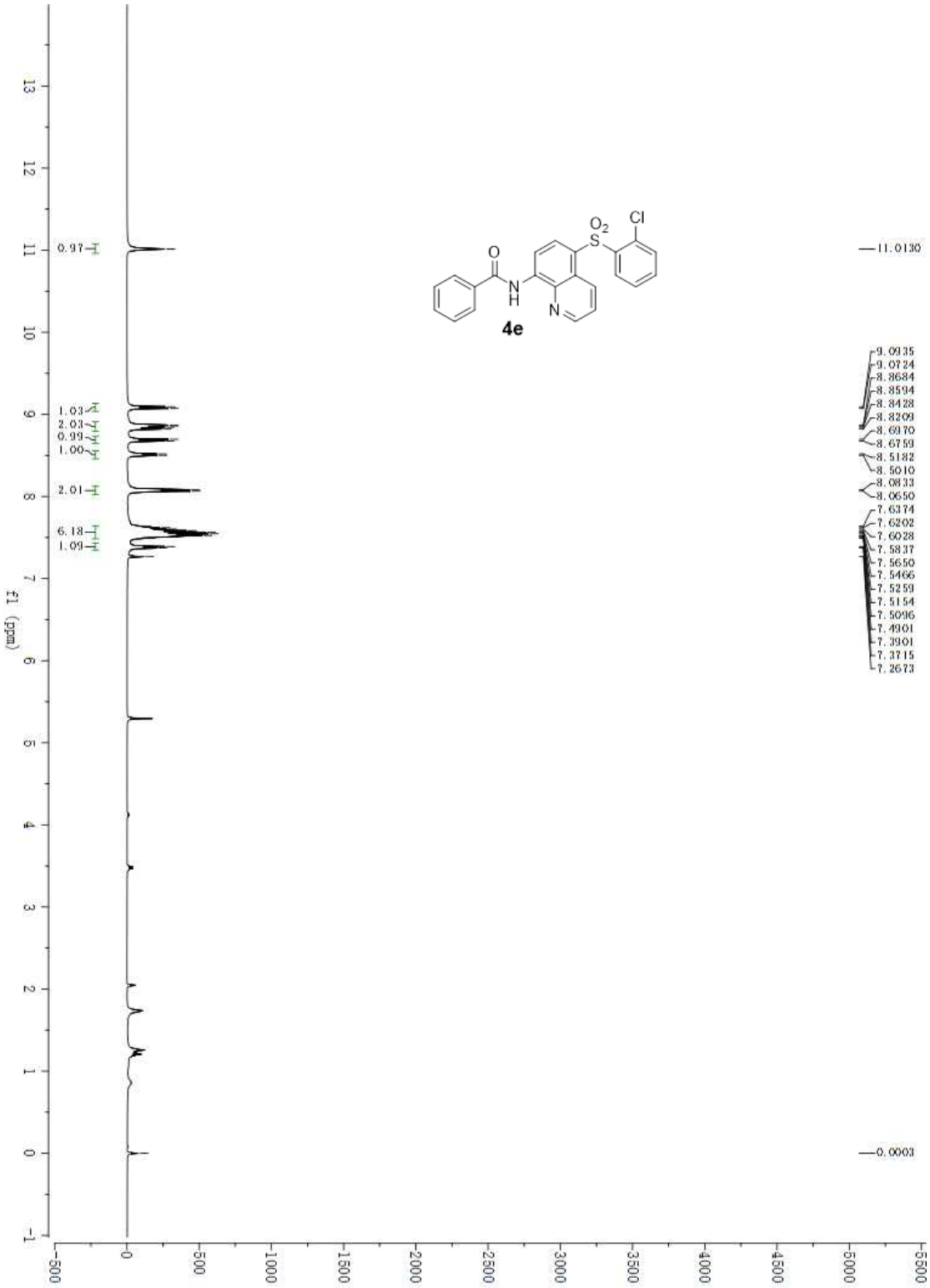
f1 (ppm)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7.3715
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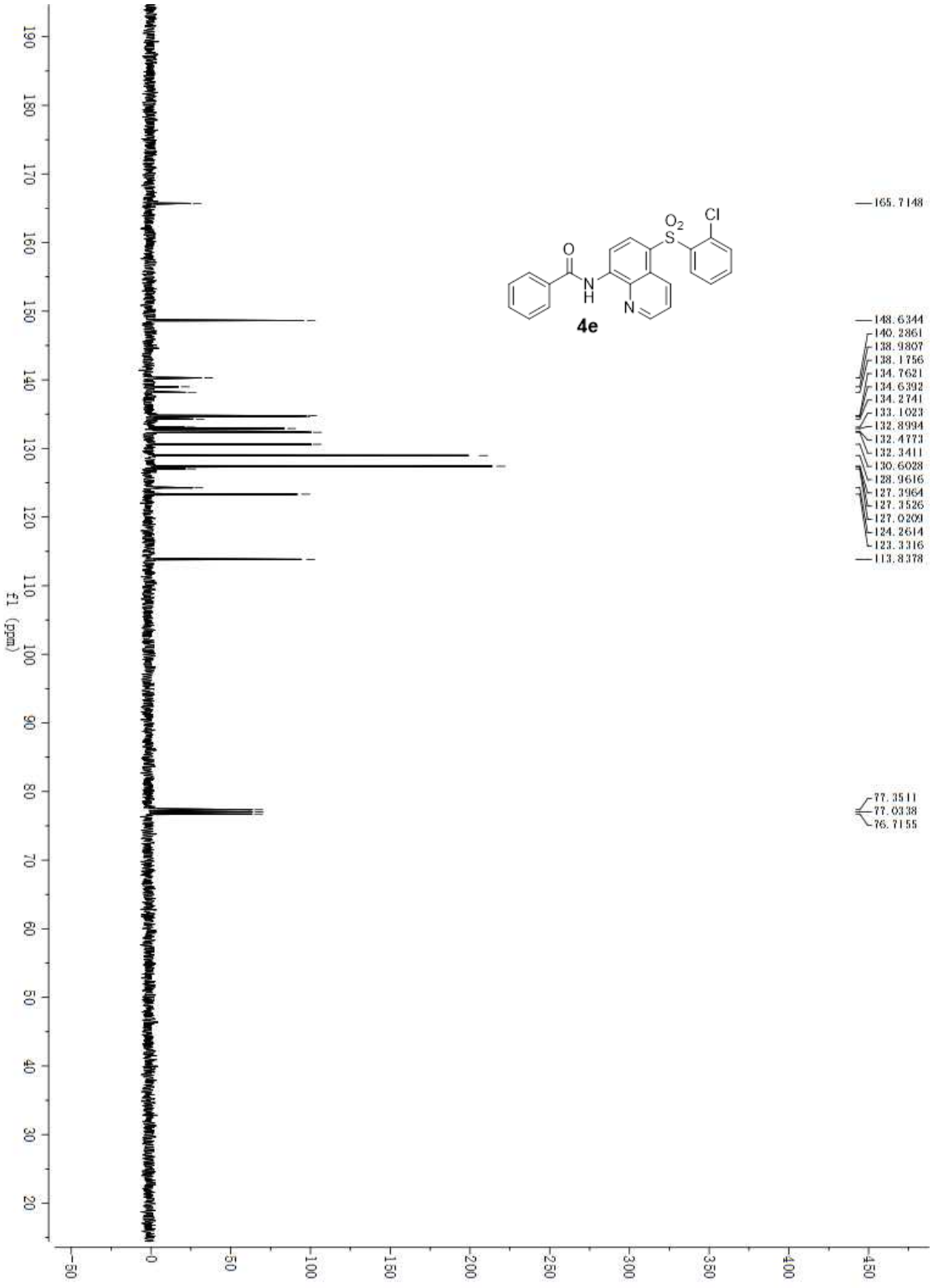
f1 (ppm)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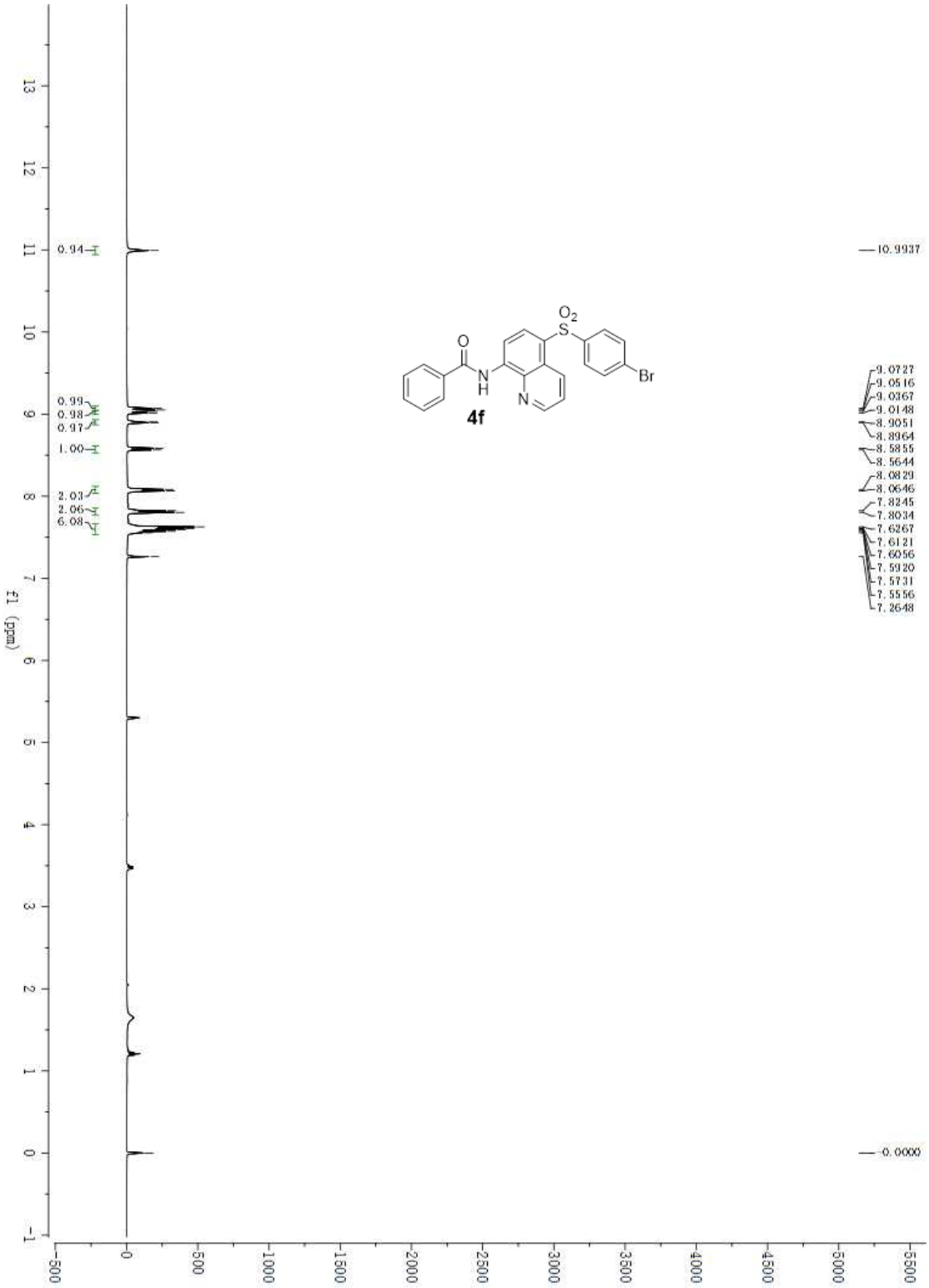
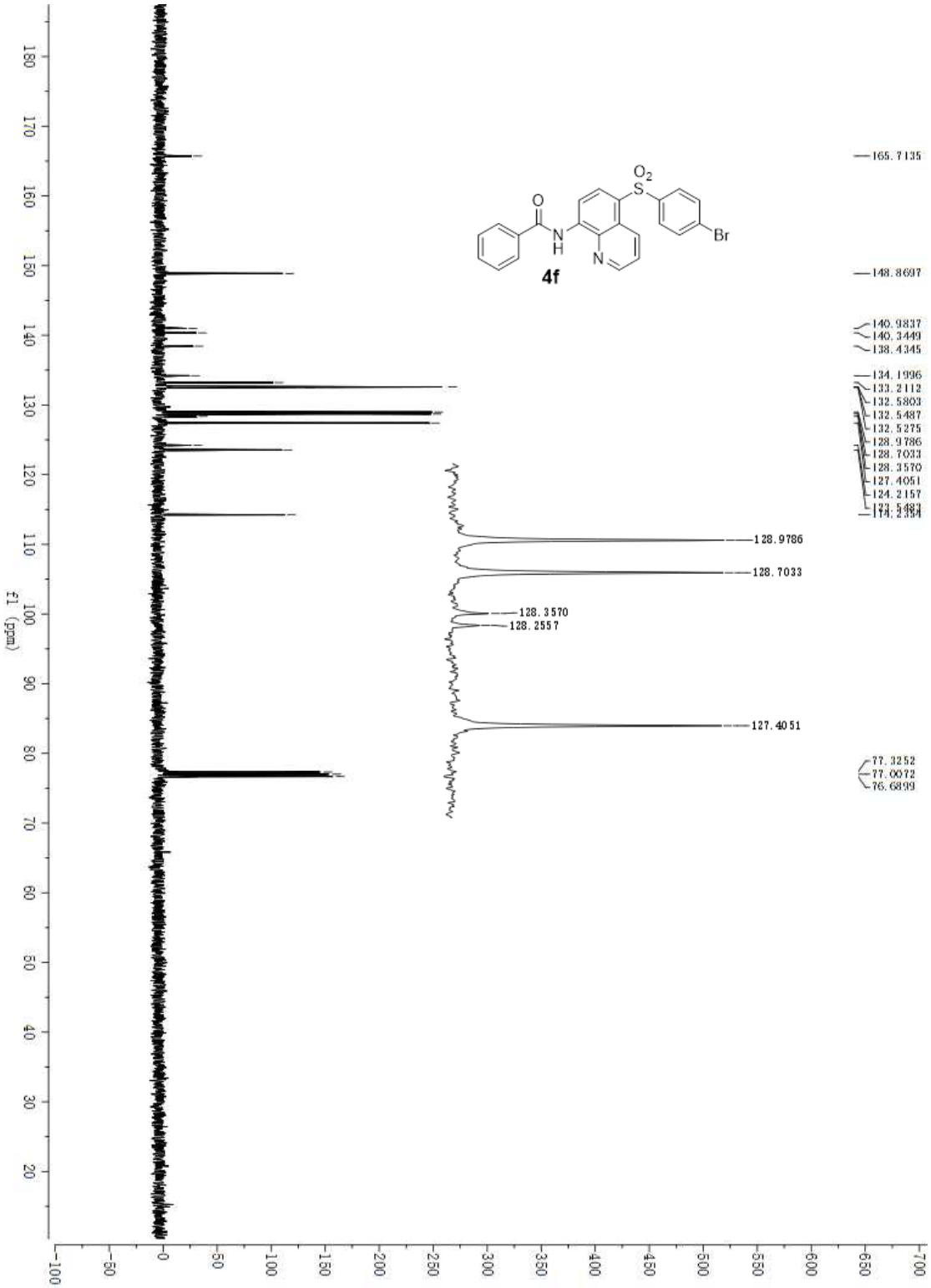
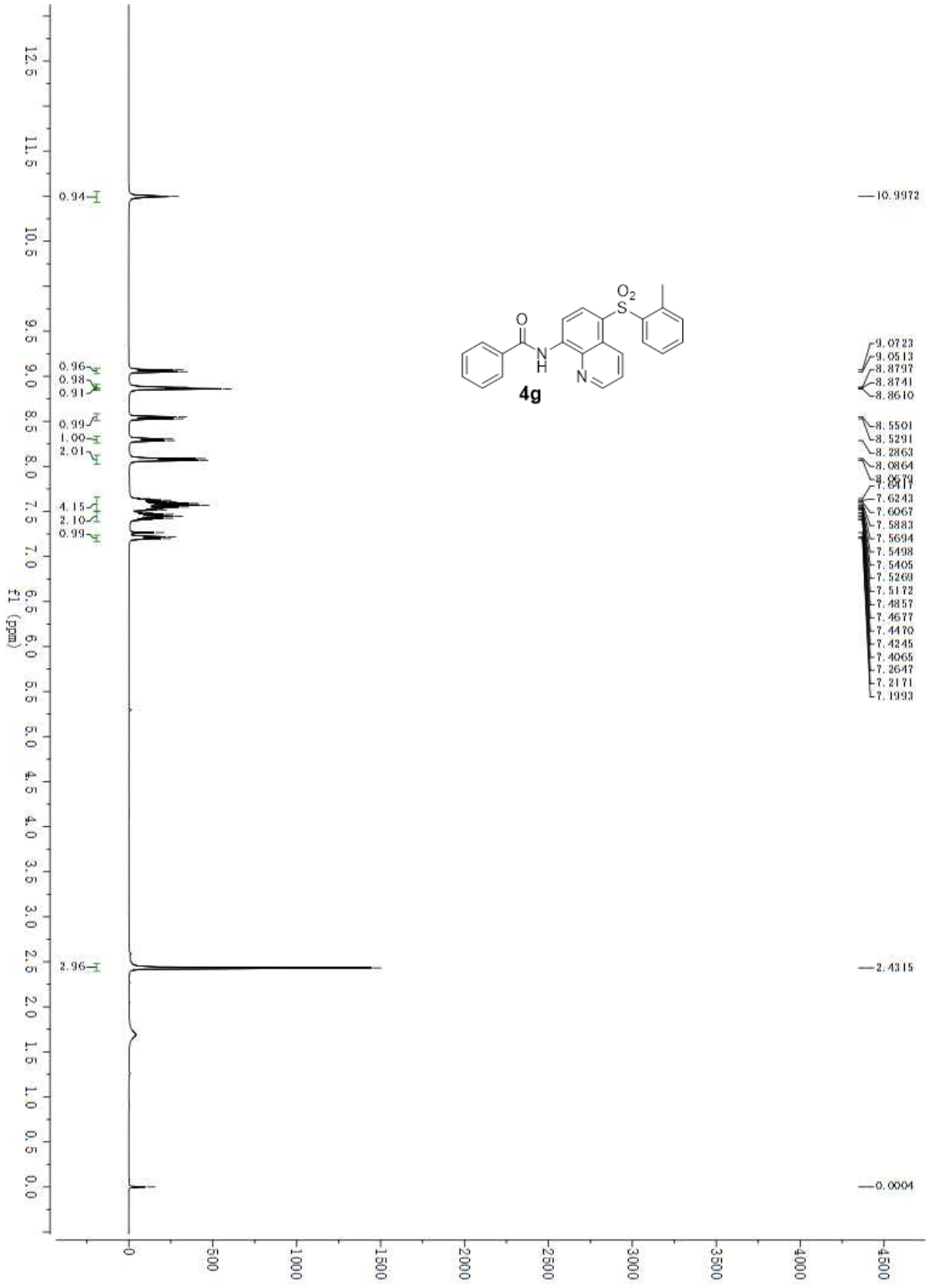
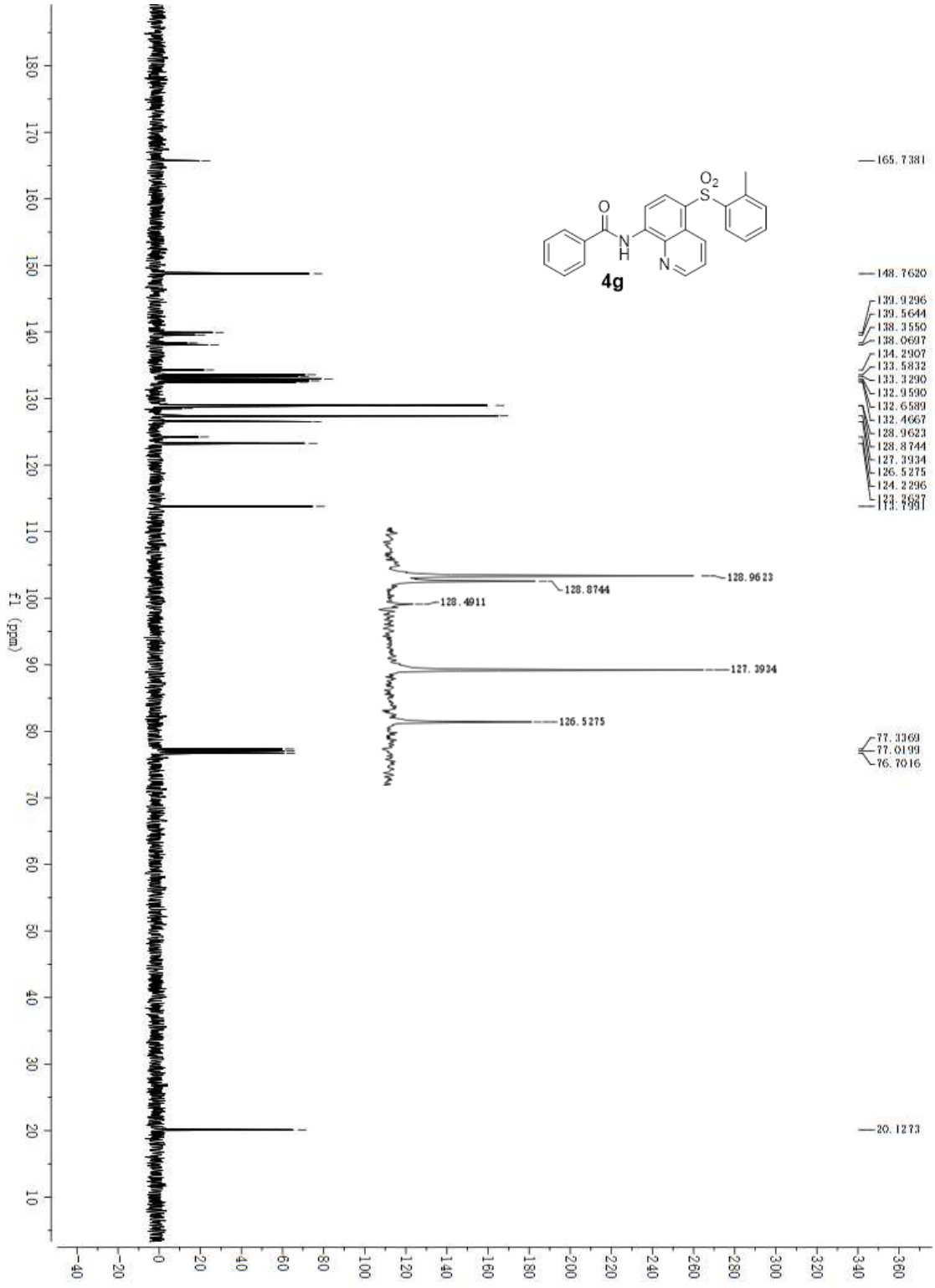
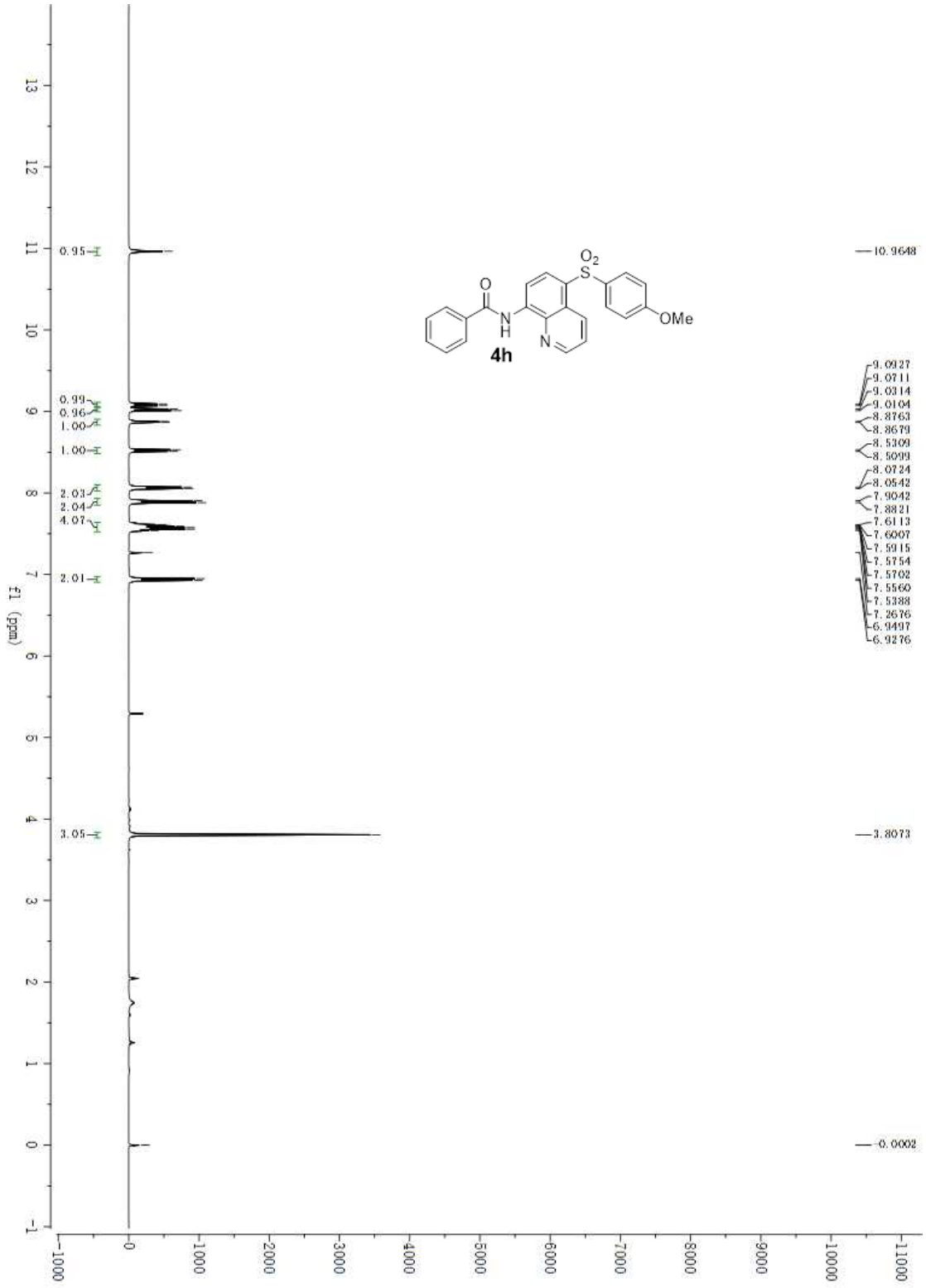
4e
f1 (ppm)

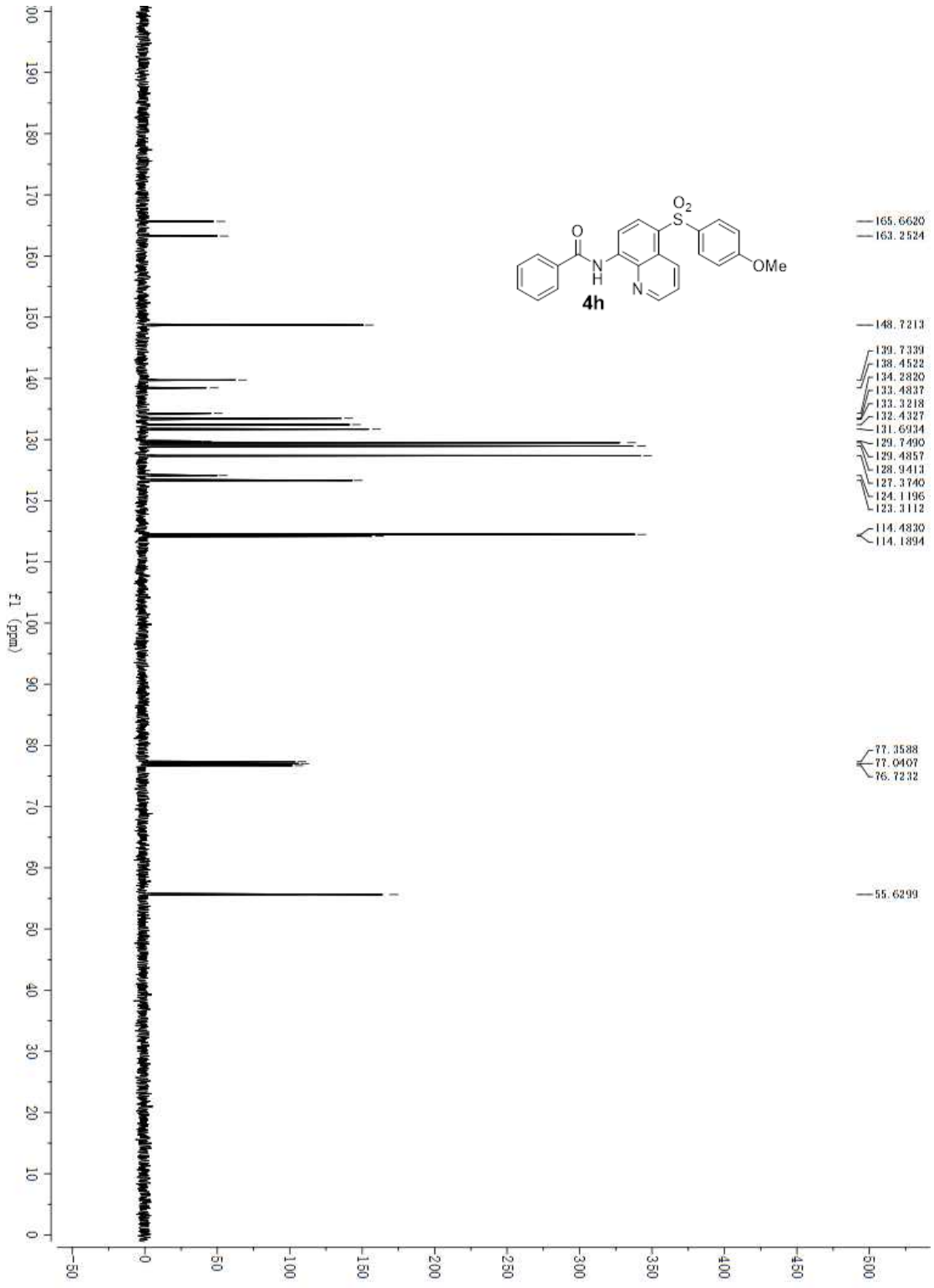

4f
f1 (ppm)
0.94
0.99
0.98
0.97
1.00
2.03
2.06
6.08
10.9937
9.0727
9.0516
9.0367
9.0148
8.9051
8.8964
8.5855
8.5644
8.0829
8.0646
7.8245
7.8034
7.6267
7.6121
7.6056
7.5920
7.5731
7.5556
7.2648
0.0000

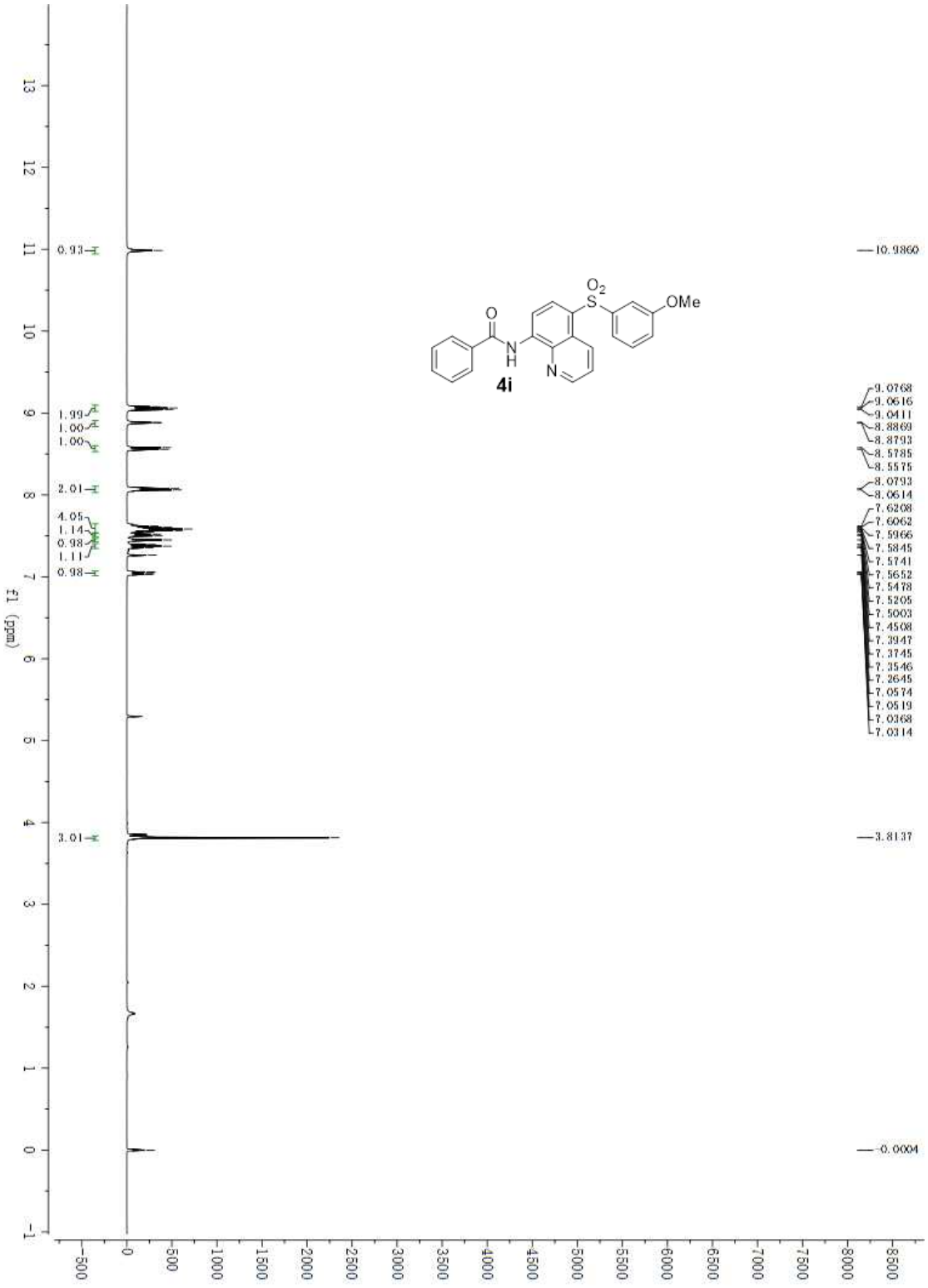

4f
165.7135
148.8697
140.9837
140.3449
138.4345
134.1996
133.2112
132.5803
132.5487
132.5275
128.9786
128.7033
128.3570
128.2557
124.2157
128.9786
128.7033
128.3570
128.2557
127.4051
77.3252
77.0072
76.6899
f1 (ppm)

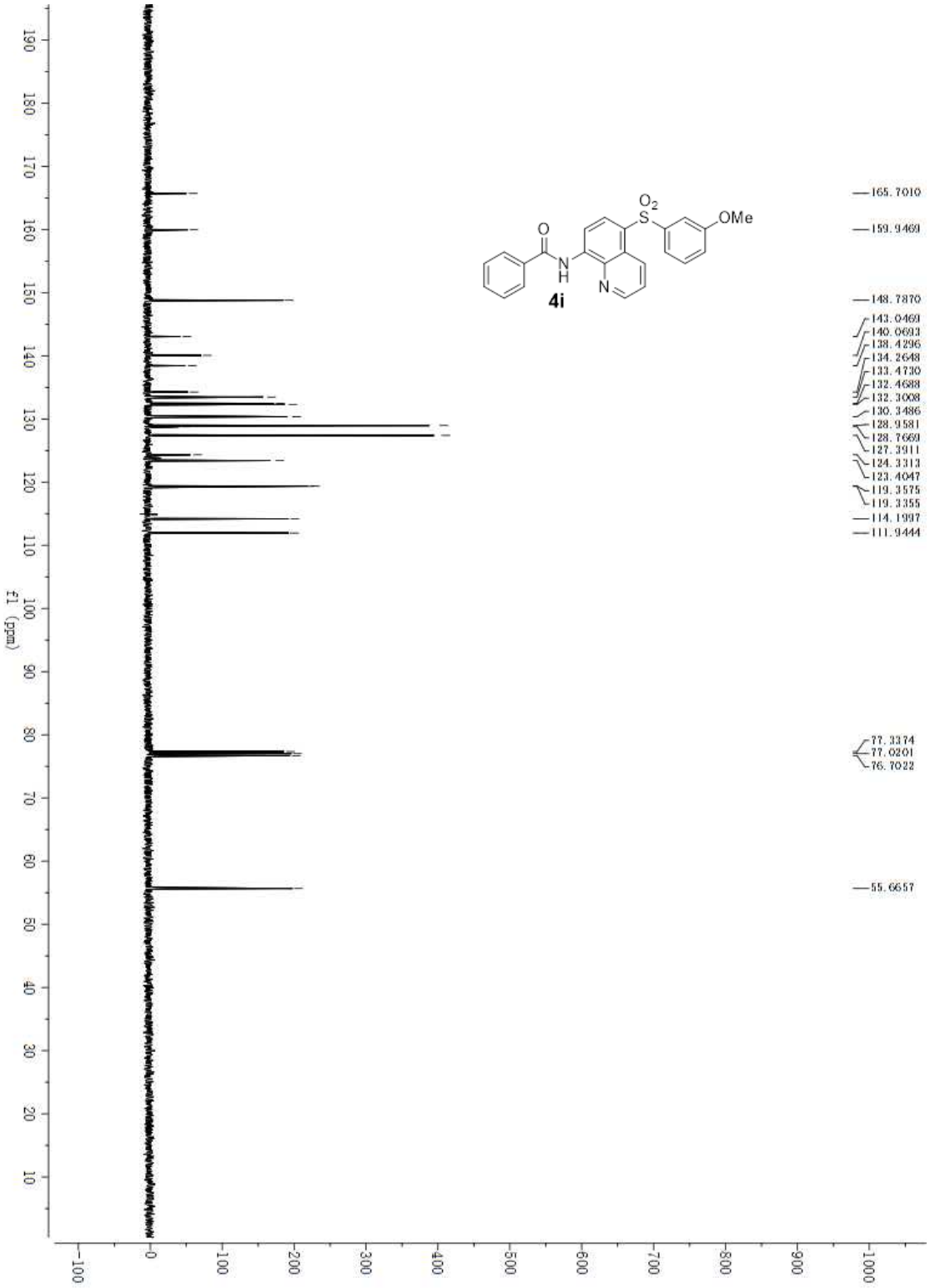

4g
0.94
0.96
0.98
0.91
0.99
1.00
2.01
4.15
2.10
0.99
2.96
10.9972
9.0723
9.0513
8.8797
8.8741
8.8610
8.5501
8.5291
8.2863
8.0864
7.6243
7.6067
7.5883
7.5694
7.5498
7.5405
7.5269
7.5172
7.4857
7.4677
7.4470
7.4245
7.4065
7.2647
7.2171
7.1993
2.4315
0.0000
f1 (ppm)

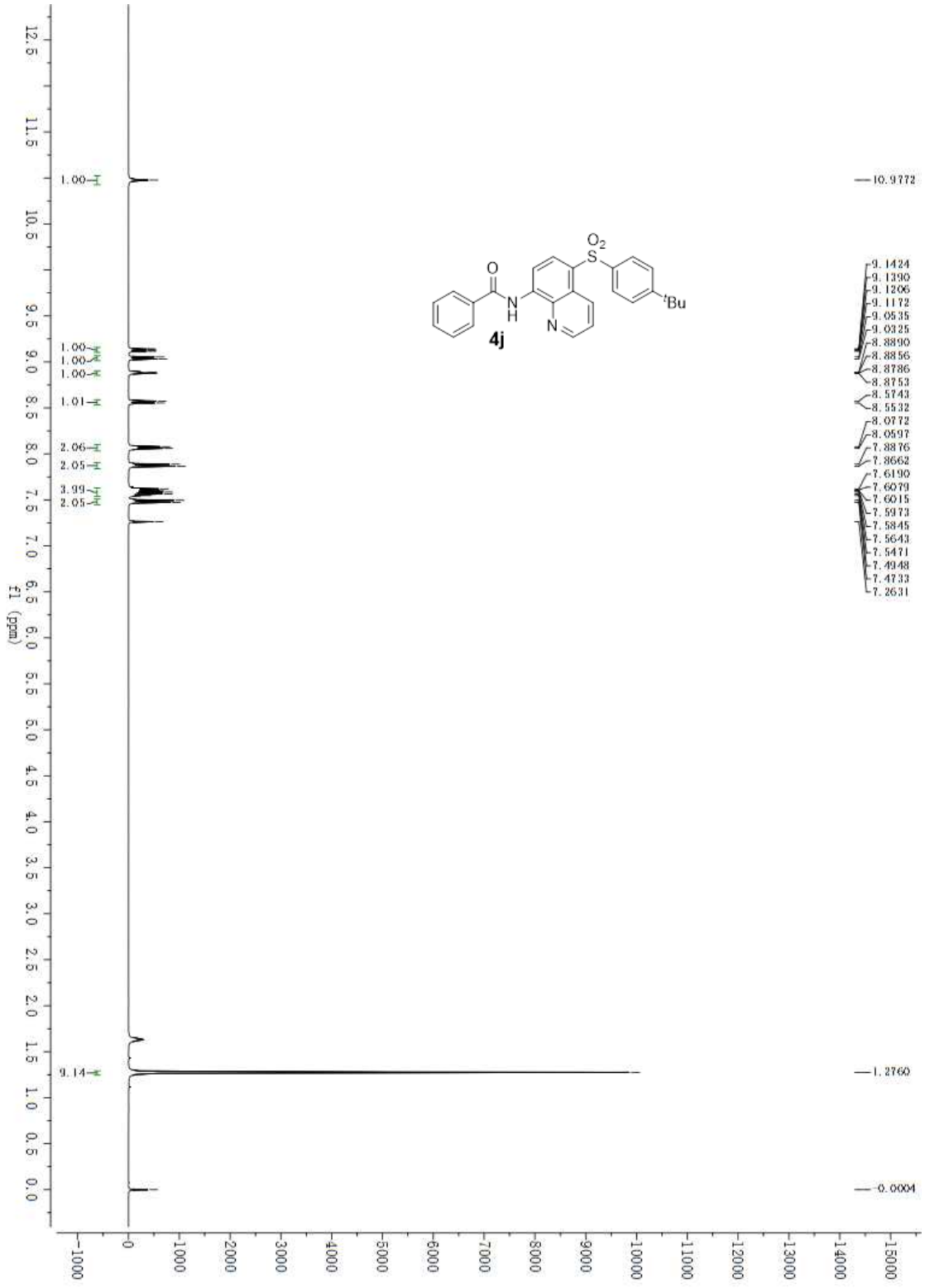

4g
165.7381
148.7620
139.9296
139.5644
138.3550
138.0607
134.2907
133.5832
133.3290
132.9590
132.6589
132.4667
128.9623
128.8744
124.2296
128.9623
128.8744
128.4911
127.3934
126.5275
77.3369
77.0199
76.7016
20.1273
f1 (ppm)

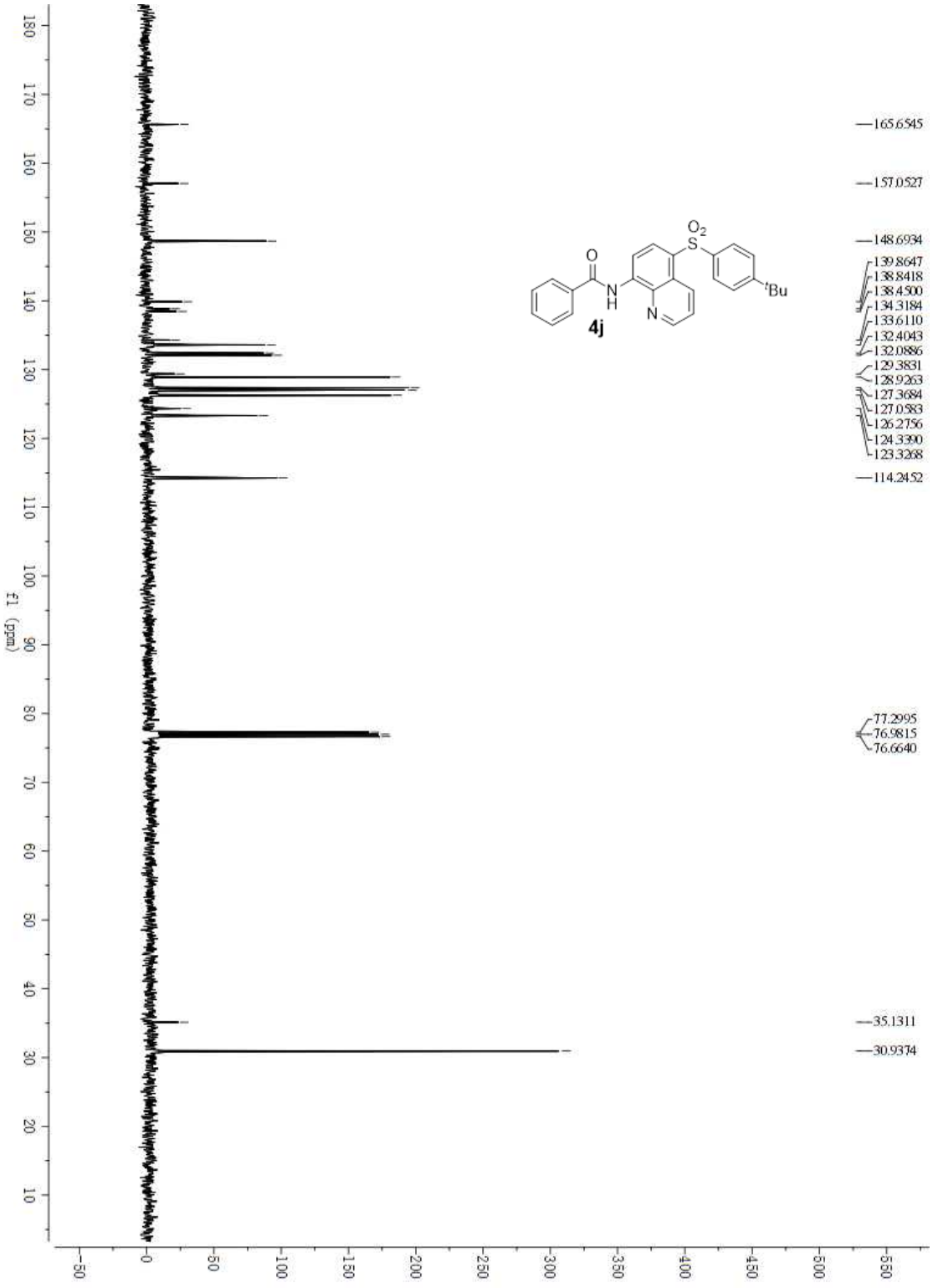

4h
O2
S
O
N
H
N
OMe
10.9648
9.0927
9.0711
9.0314
9.0104
8.8763
8.8679
8.5309
8.5099
8.0724
8.0542
7.9042
7.8821
7.6113
7.6007
7.5915
7.5754
7.5702
7.5560
7.5388
7.2676
6.9497
6.9276
3.8073
0.0002
0.95
0.99
0.96
1.00
1.00
2.03
2.04
4.07
2.01
3.05
f1 (ppm)

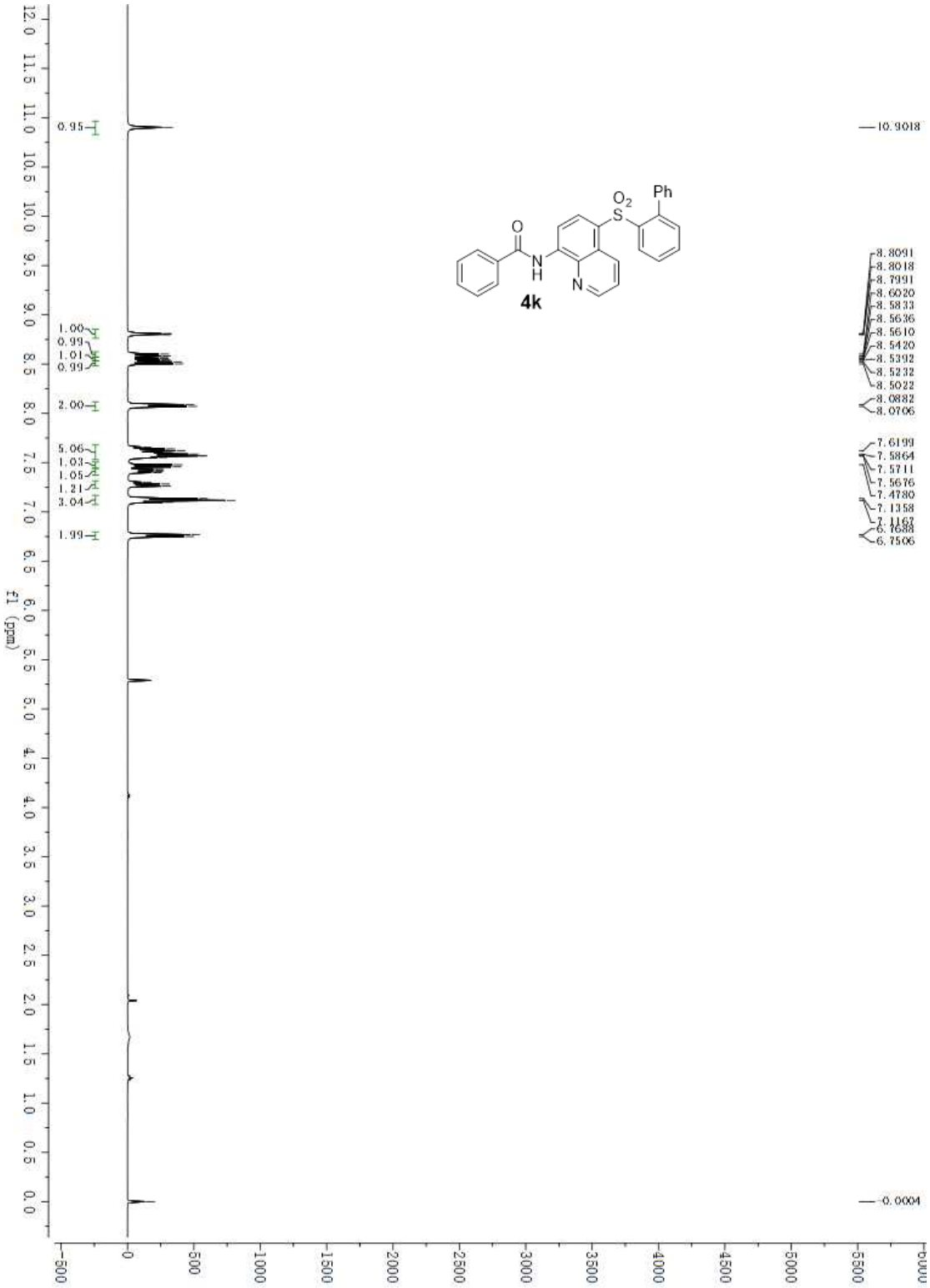

4h
165.6620
163.2524
148.7213
139.7339
138.4522
134.2820
133.4837
133.3218
132.4327
131.6934
129.7490
129.4857
128.9413
127.3740
124.1196
123.3112
114.4830
114.1894
77.3588
77.0407
76.7232
55.6299
f1 (ppm)

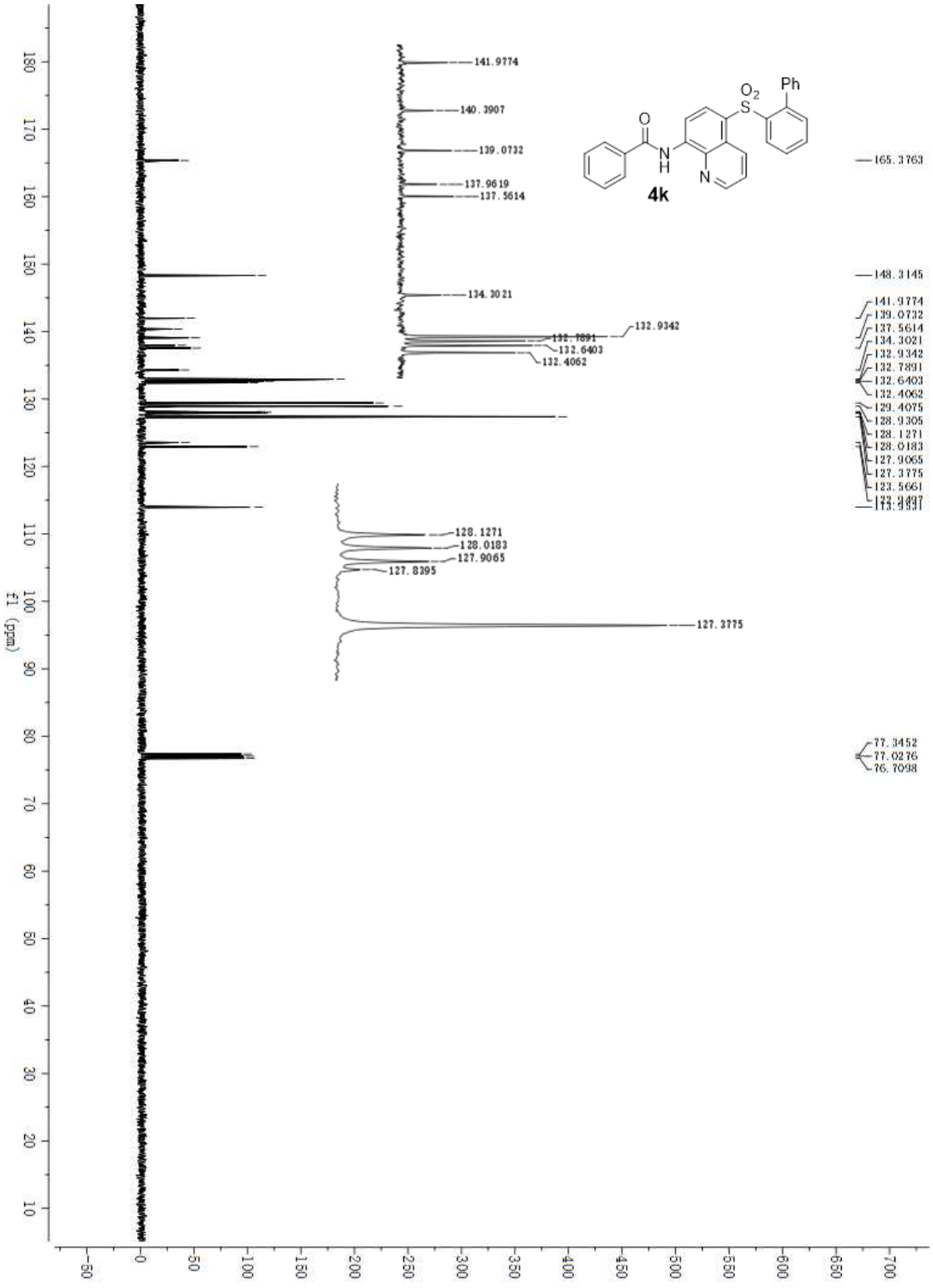

4i
0.93
1.99
1.00
1.00
2.01
4.05
1.14
0.98
1.11
0.98
3.01
10.9860
9.0768
9.0616
9.0411
8.8869
8.8793
8.5785
8.5575
8.0793
8.0614
7.6208
7.6062
7.5966
7.5845
7.5741
7.5652
7.5478
7.5205
7.5003
7.4508
7.3947
7.3745
7.3546
7.2645
7.0574
7.0519
7.0368
7.0314
3.8137
0.0004
f1 (ppm)

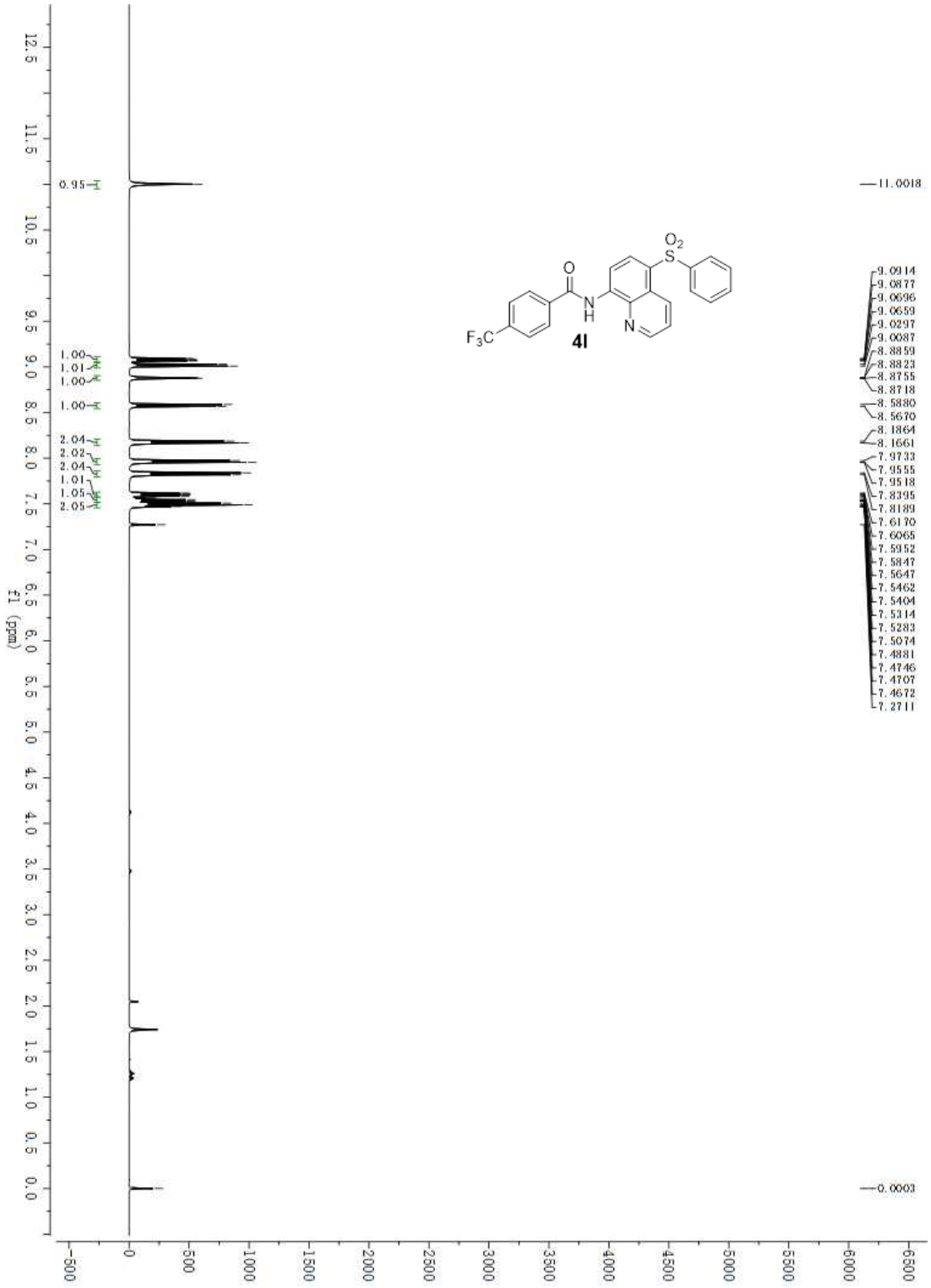

4i
165.7010
159.9469
148.7870
143.0469
140.0693
138.4296
134.2648
133.4730
132.4688
132.3008
130.3486
128.9581
128.7669
127.3911
124.3313
123.4047
119.3575
119.3355
114.1997
111.9444
77.3374
77.0201
76.7022
55.6657
f1 (ppm)

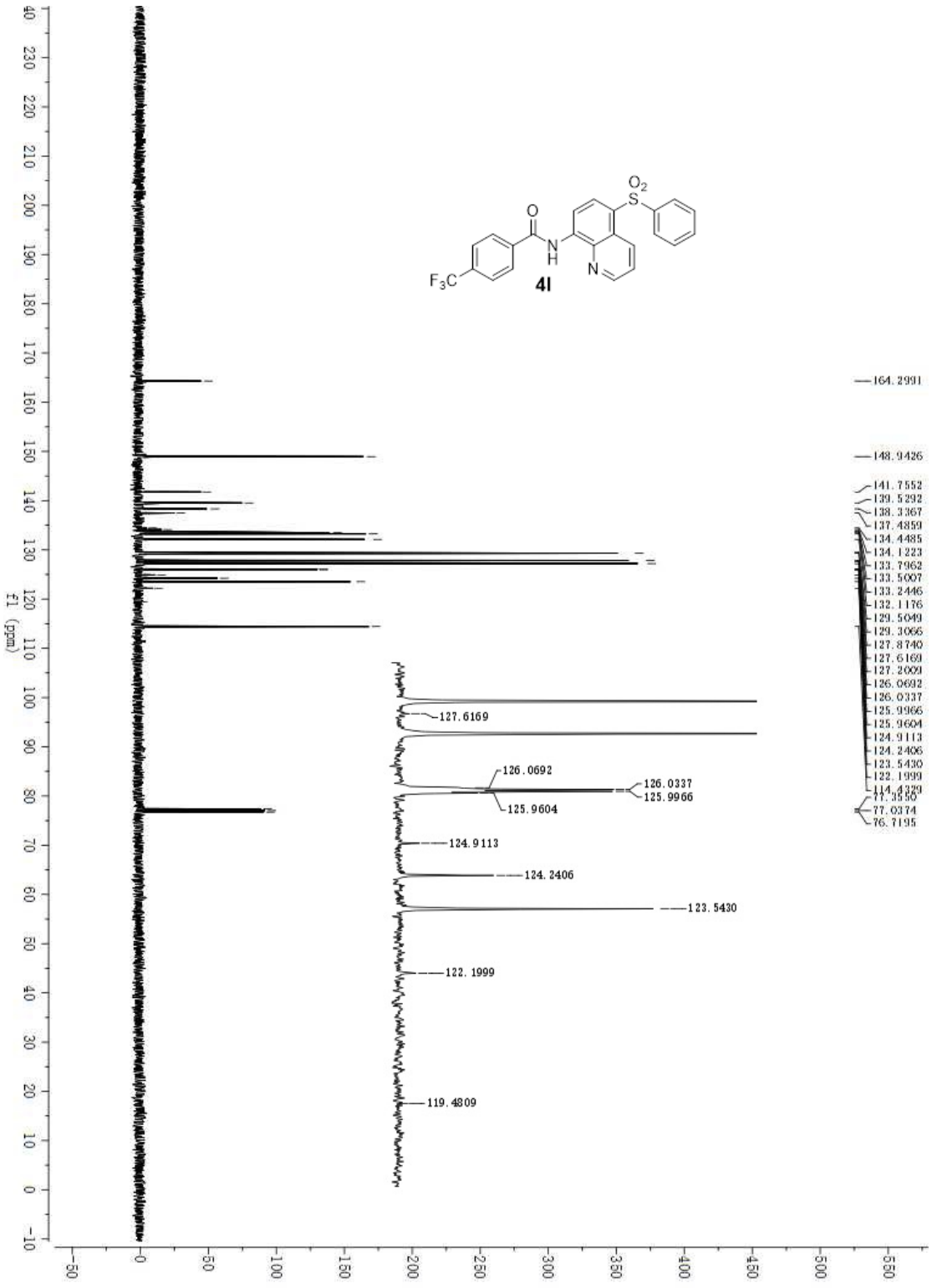

4j
10.9772
9.1424
9.1390
9.1206
9.1172
9.0535
9.0325
8.8890
8.8856
8.8786
8.8753
8.5743
8.5532
8.0772
8.0597
7.8876
7.8662
7.6190
7.6079
7.6015
7.5973
7.5845
7.5643
7.5471
7.4948
7.4733
7.2631
1.2760
0.0004
f1 (ppm)

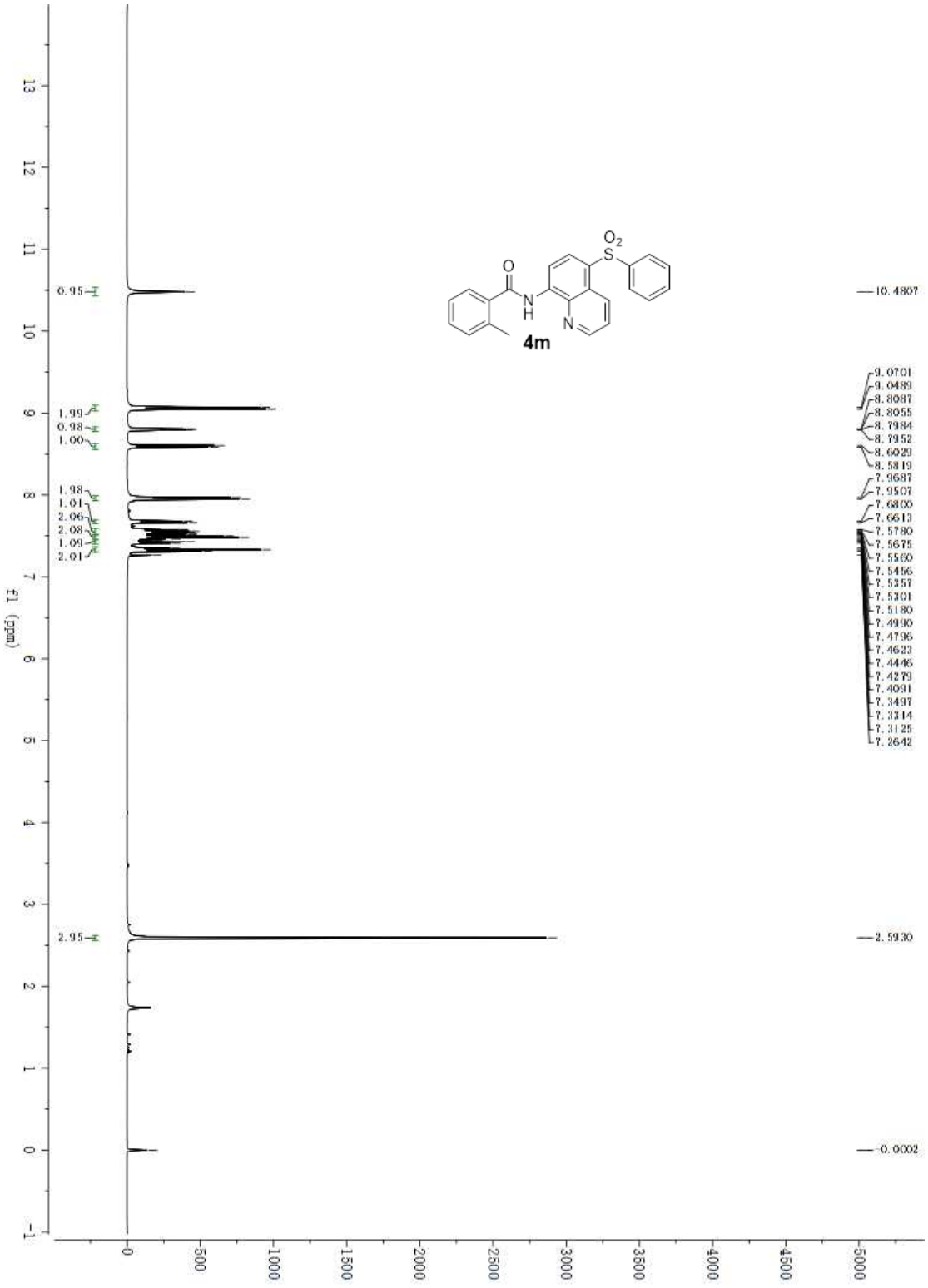

165.6545
157.0527
148.6934
139.8647
138.8418
138.4500
134.3184
133.6110
132.4043
132.0886
129.3831
128.9263
127.3684
127.0583
126.2756
124.3390
123.3268
114.2452
77.2995
76.9815
76.6640
35.1311
30.9374
O2
S
O
N
H
N
tBu
4j
f1 (ppm)

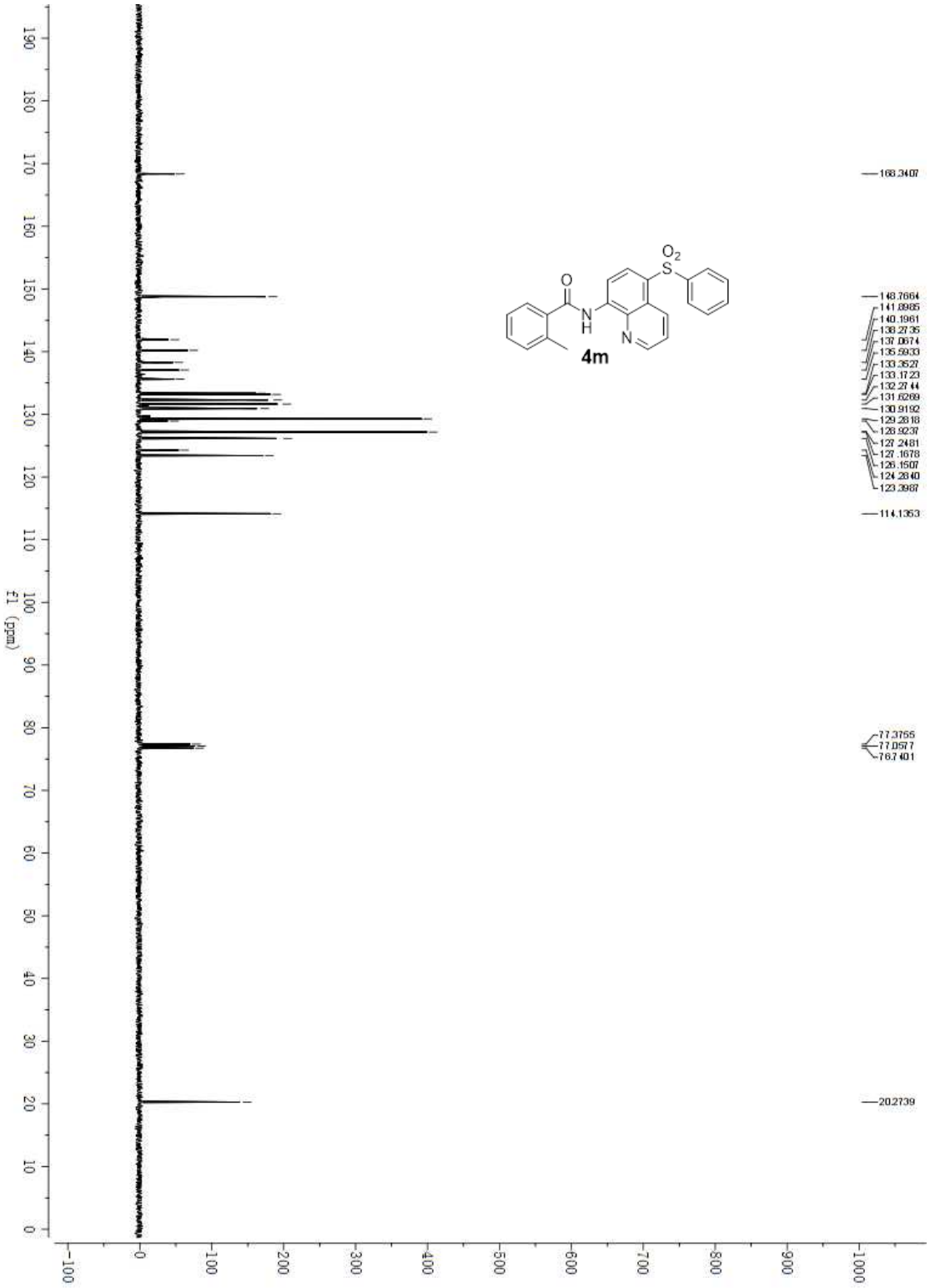

4k
f1 (ppm)
0.95
1.00
0.99
1.01
0.99
2.00
5.06
1.03
1.05
1.21
3.04
1.99
10.9018
8.8091
8.8018
8.7991
8.6020
8.5833
8.5636
8.5610
8.5420
8.5392
8.5232
8.5022
8.0882
8.0706
7.6199
7.5864
7.5711
7.5676
7.4780
7.1358
7.1167
6.7688
6.7506
0.0004

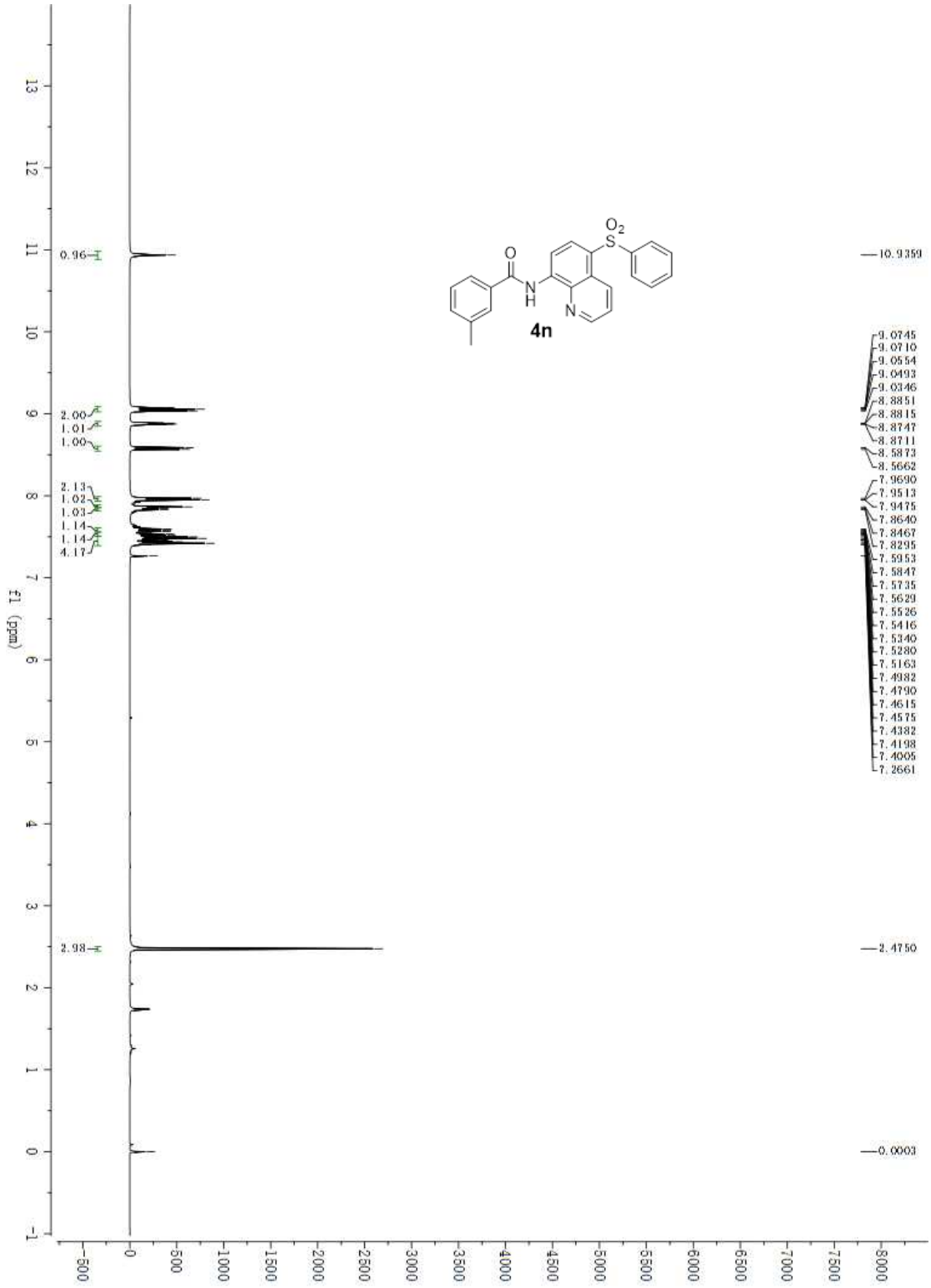

141.9774
140.3907
139.0732
137.9619
137.5614
134.3021
132.9342
132.7891
132.6403
132.4062
128.1271
128.0183
127.9065
127.8395
127.3775
165.3763
148.3145
129.4075
128.9305
128.1271
128.0183
127.9065
127.3775
123.5661
77.3452
77.0276
76.7098
O2
S
Ph
O
N
H
N
4k
f1 (ppm)

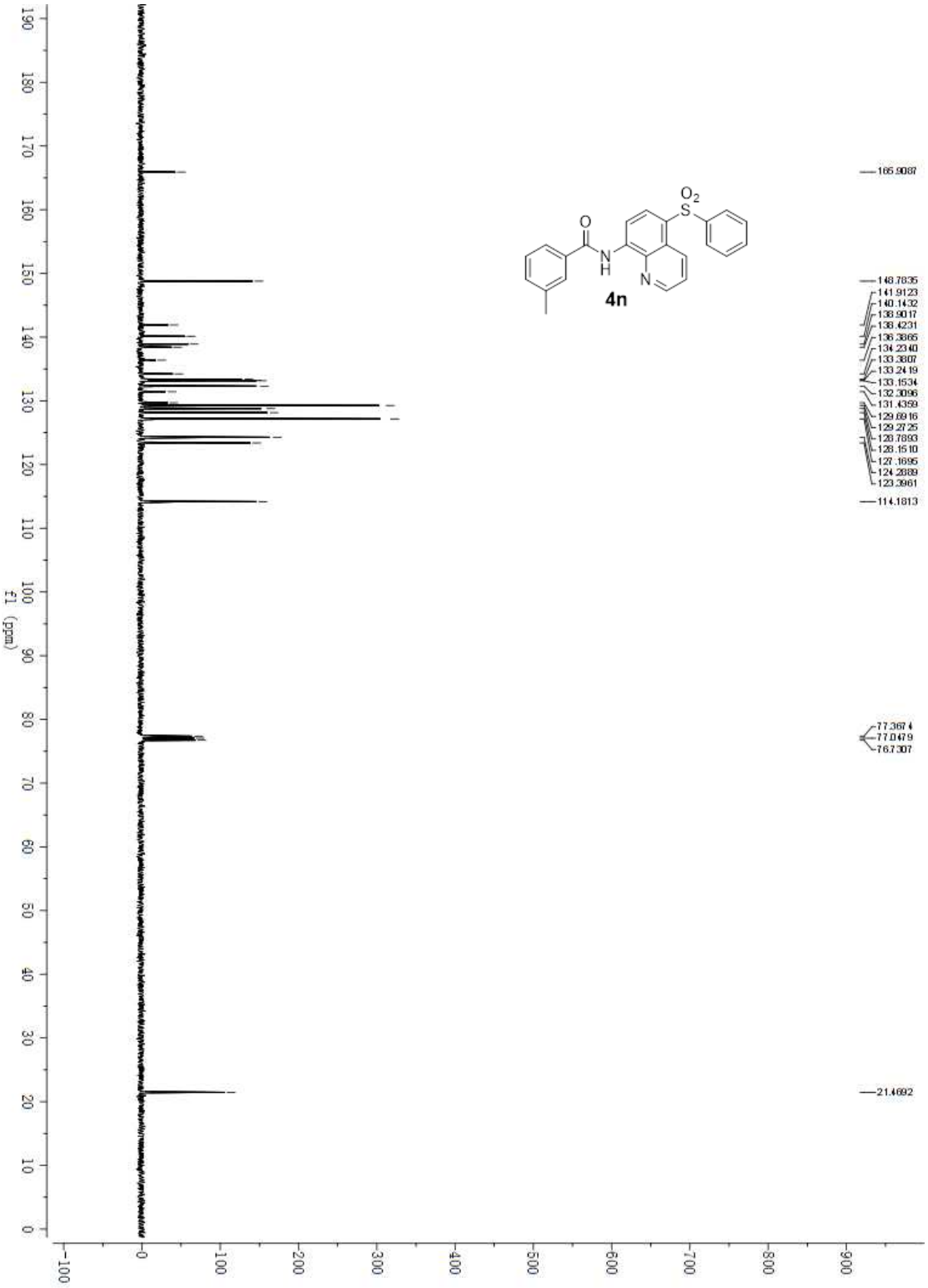

4l
f1 (ppm)
11.0018
0.0003
0.95
1.00
1.01
1.00
1.00
2.04
2.02
2.04
1.01
1.05
2.05
9.0914
9.0877
9.0696
9.0659
9.0297
9.0087
8.8859
8.8823
8.8755
8.8718
8.5880
8.5670
8.1864
8.1661
7.9733
7.9555
7.9518
7.8395
7.8189
7.6170
7.6065
7.5952
7.5847
7.5647
7.5462
7.5404
7.5314
7.5283
7.5074
7.4881
7.4746
7.4707
7.4672
7.2711

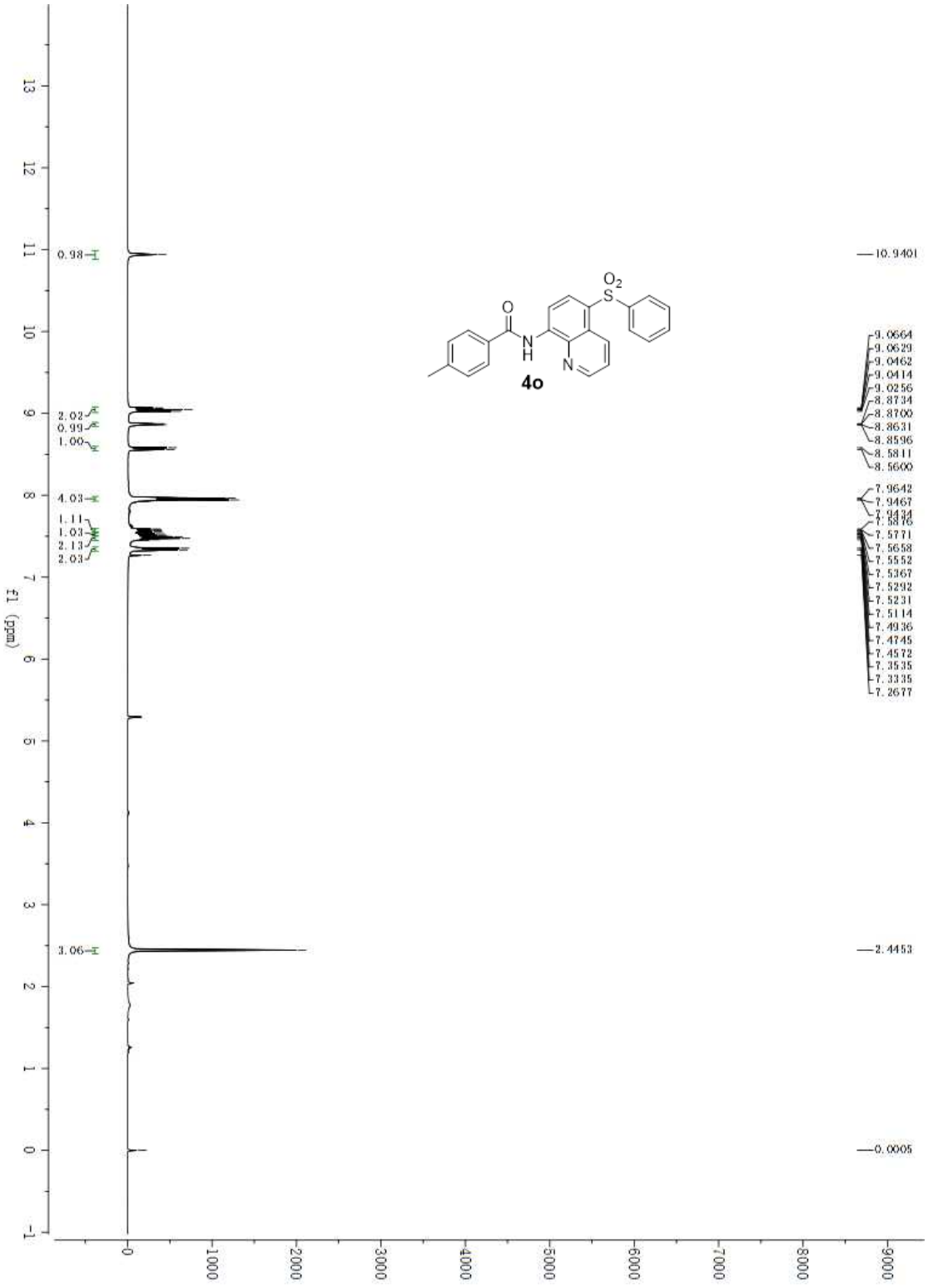

O2
S
O
N
H
N
F3C
4l
f1 (ppm)
164.2991
148.9426
141.7552
139.5292
138.3367
137.4859
134.4485
134.1223
133.7962
133.5007
133.2446
132.1176
129.5049
129.3066
127.8740
127.6169
127.2009
126.0692
126.0337
125.9966
125.9604
124.9113
124.2406
123.5430
122.1999
119.4809
77.0374
76.7195

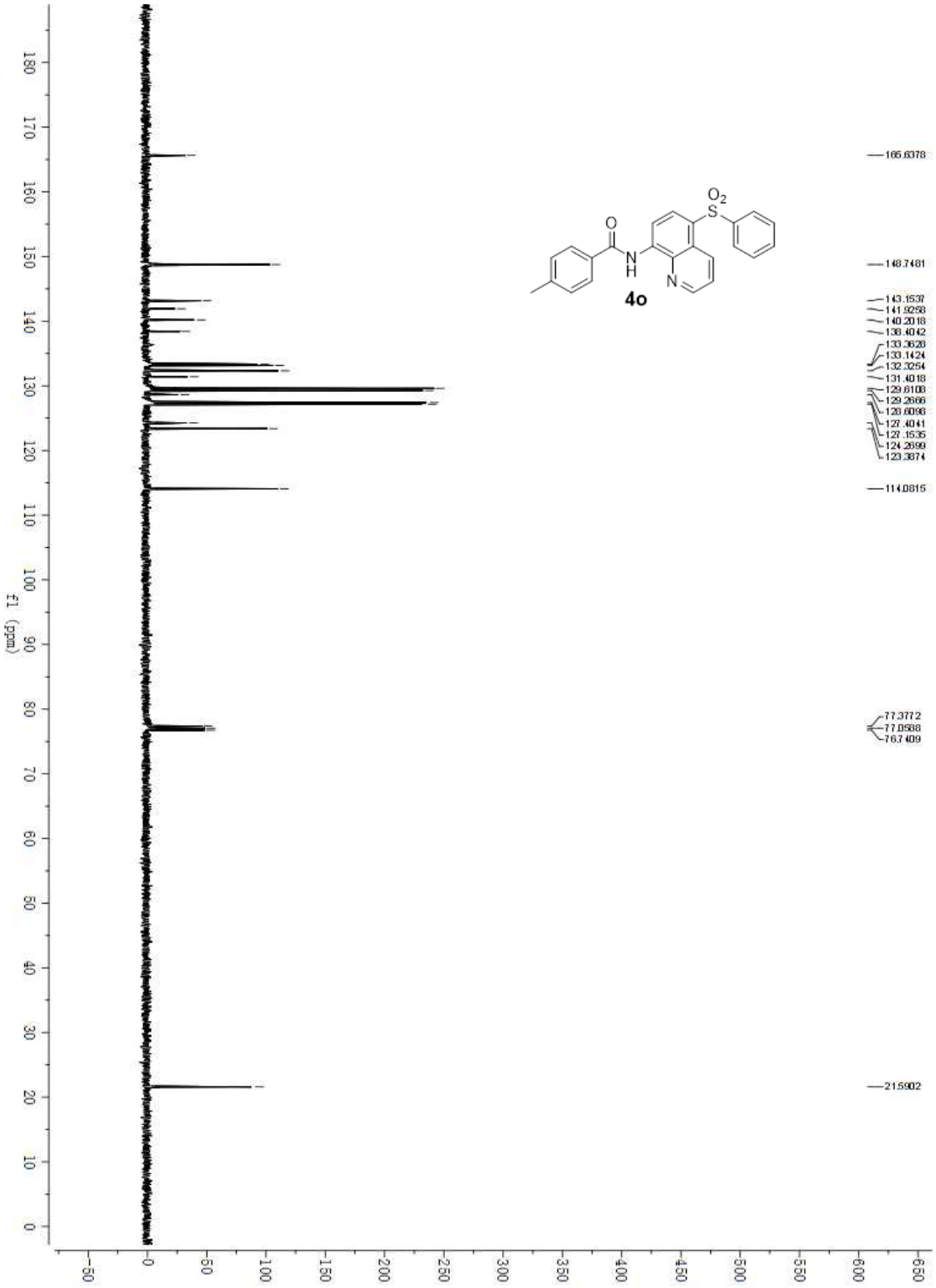

4m
f1 (ppm)
0.95
1.99
0.98
1.00
1.98
1.01
2.06
2.08
1.09
2.01
2.95
10.4807
9.0701
9.0489
8.8087
8.8055
8.7984
8.7952
8.6029
8.5819
7.9687
7.9507
7.6800
7.6613
7.5780
7.5675
7.5560
7.5456
7.5357
7.5301
7.5180
7.4990
7.4796
7.4623
7.4446
7.4279
7.4091
7.3497
7.3314
7.3125
7.2642
2.5930
0.0002

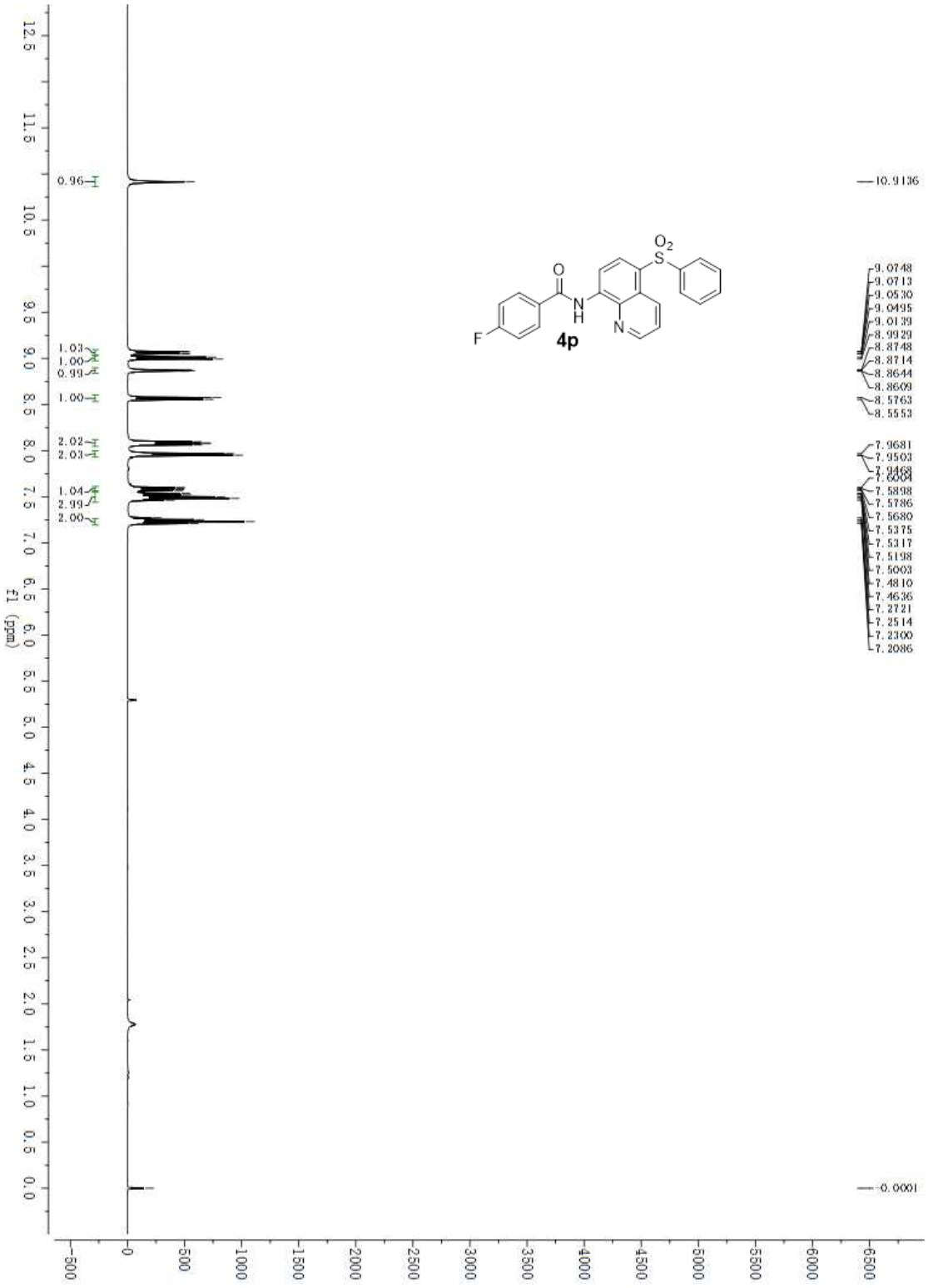

4m
168.3407
148.7664
141.8985
140.1961
138.2736
137.0674
135.5933
133.3627
133.1723
132.2744
131.6269
130.9192
129.2818
128.9237
127.2481
127.1678
126.1507
124.2840
123.3987
114.1353
77.3755
77.0577
76.7401
20.2739
f1 (ppm)

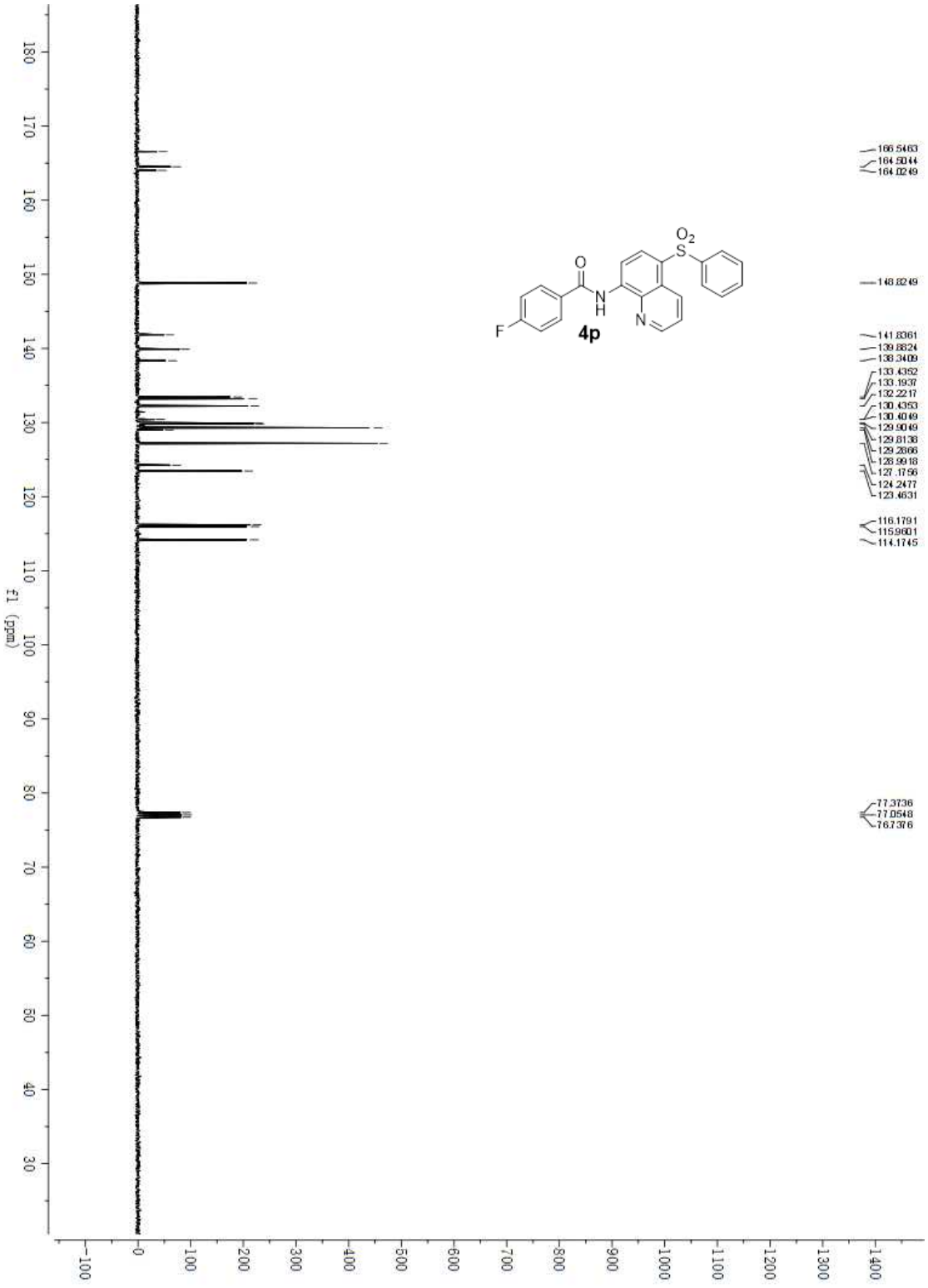

4n
f1 (ppm)
0.96
2.00
1.01
1.00
2.13
1.02
1.03
1.14
1.14
4.17
2.98
10.9359
9.0745
9.0710
9.0554
9.0493
9.0346
8.8851
8.8815
8.8747
8.8711
8.5873
8.5662
7.9690
7.9513
7.9475
7.8640
7.8467
7.8295
7.5953
7.5847
7.5735
7.5629
7.5526
7.5416
7.5340
7.5280
7.5163
7.4982
7.4790
7.4615
7.4575
7.4382
7.4198
7.4005
7.2661
2.4750
0.0003

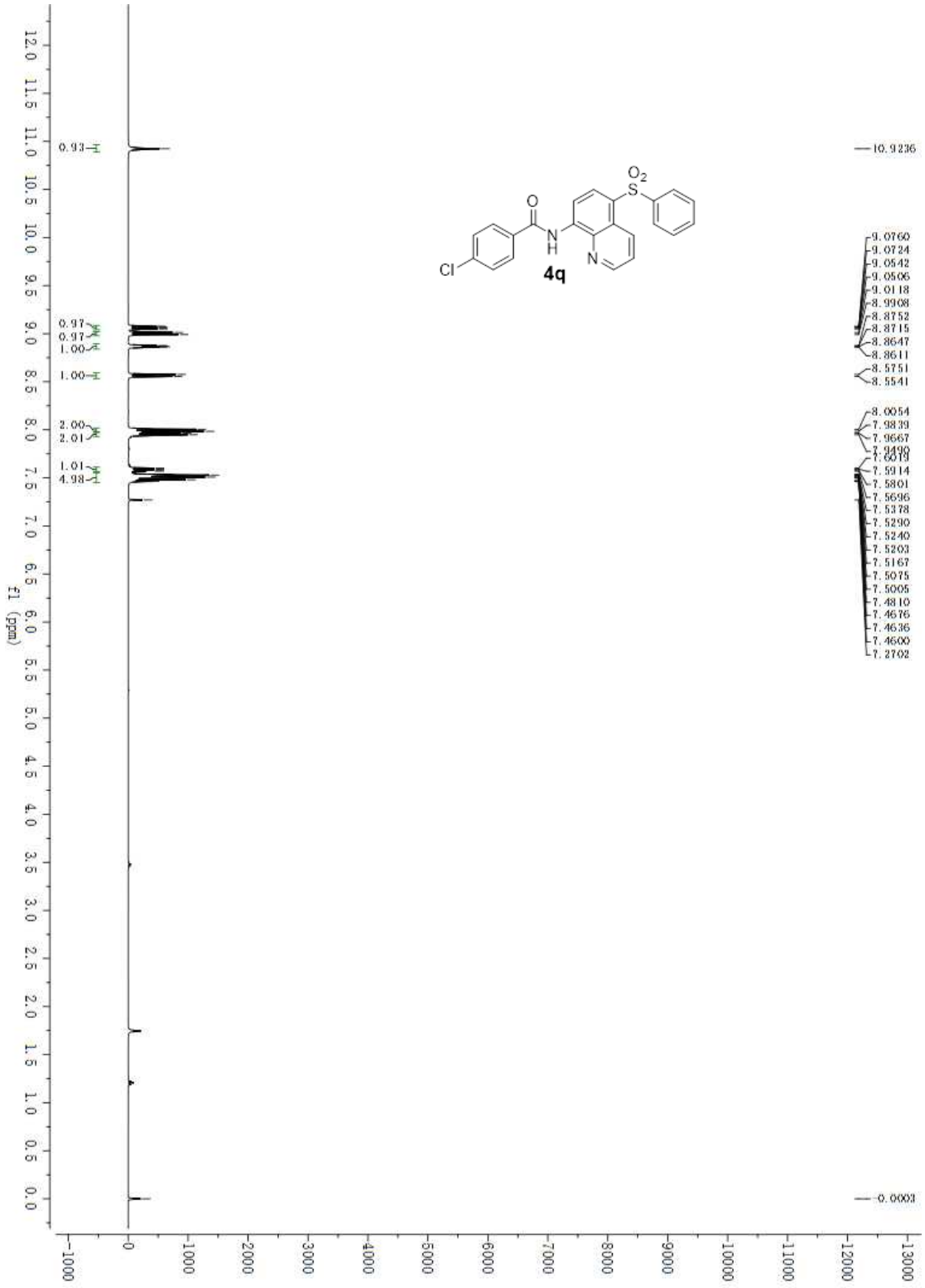

4n
165.9087
148.7835
141.9123
140.1432
138.9017
138.4231
136.3865
134.2340
133.3807
133.2419
133.1534
132.3096
131.4359
129.6916
129.2725
128.7893
128.1510
127.1695
124.2889
123.3961
114.1813
77.3674
77.0479
76.7307
21.4692
f1 (ppm)

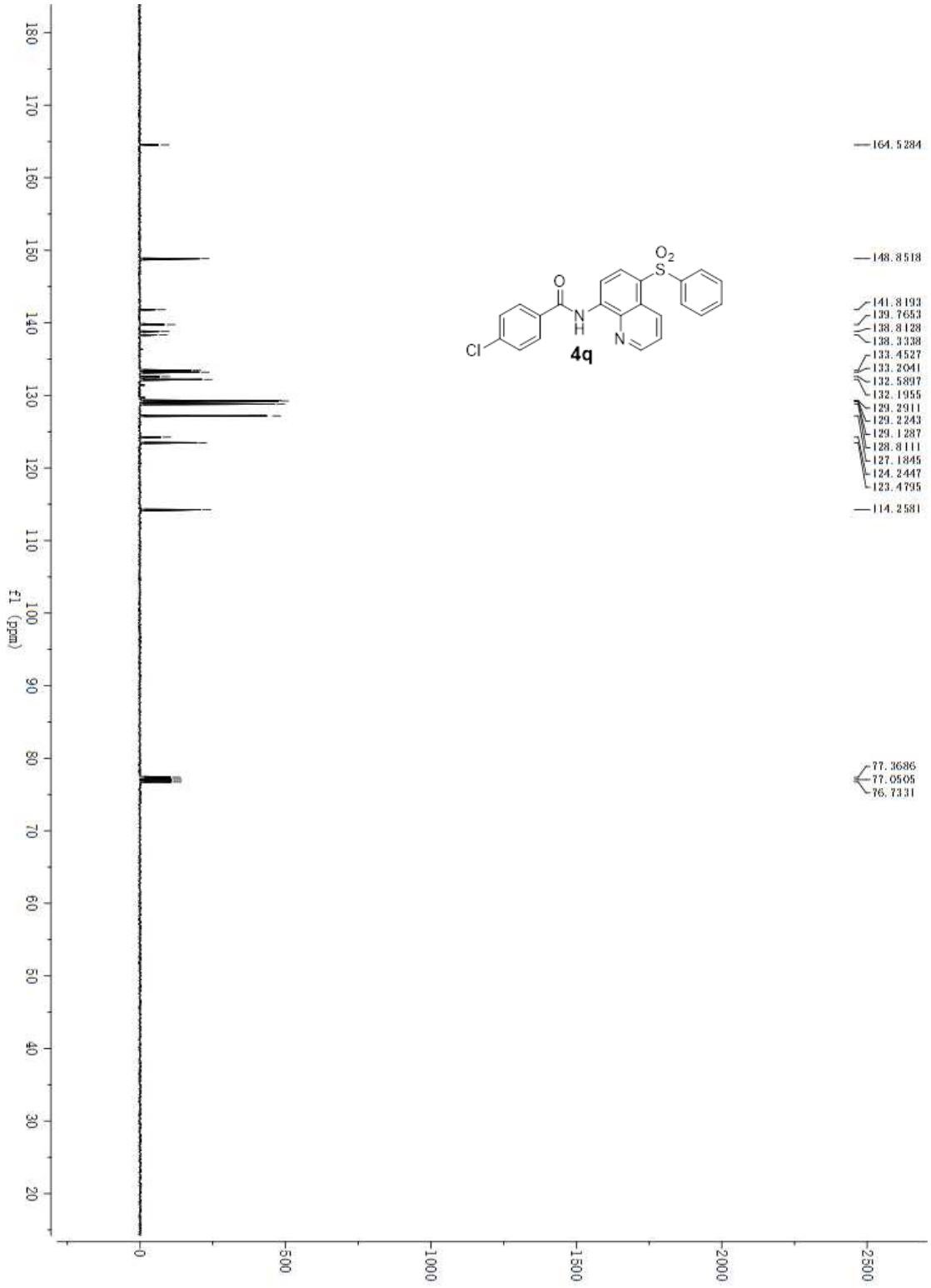

4o
f1 (ppm)
0.98
2.02
0.99
1.00
4.03
1.11
1.03
2.13
2.03
3.06
10.9401
9.0664
9.0629
9.0462
9.0414
9.0256
8.8734
8.8700
8.8631
8.8596
8.5811
8.5600
7.9642
7.9467
7.9434
7.5876
7.5771
7.5658
7.5552
7.5367
7.5292
7.5231
7.5114
7.4936
7.4745
7.4572
7.3535
7.3335
7.2677
2.4453
0.0005

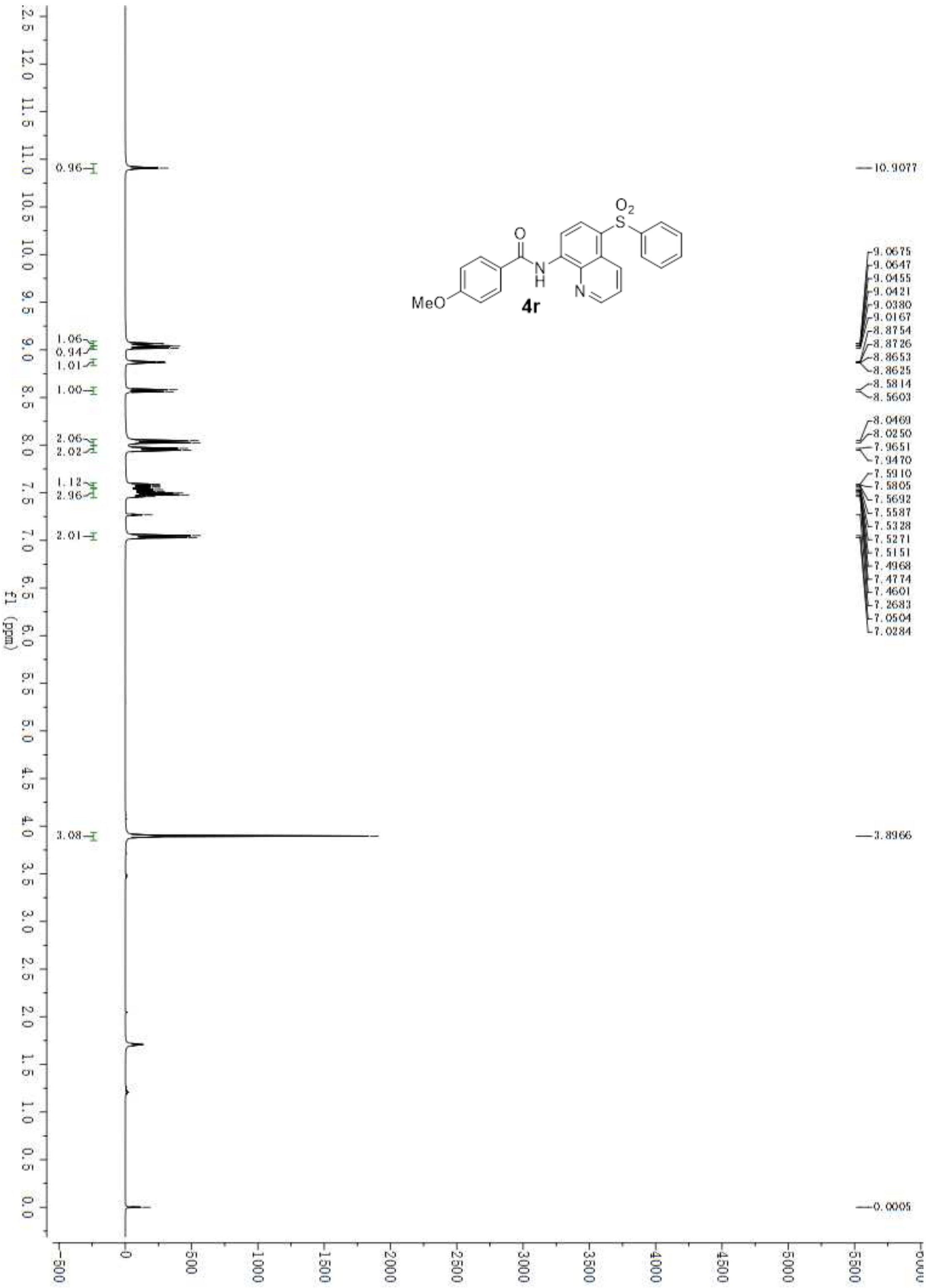

4o
165.6378
148.7481
143.1537
141.9258
140.2018
138.4042
133.3628
133.1424
132.3254
131.4018
129.6108
129.2666
128.6098
127.4041
127.1535
124.2699
123.3874
114.0815
77.3772
77.0588
76.7409
21.5902
f1 (ppm)

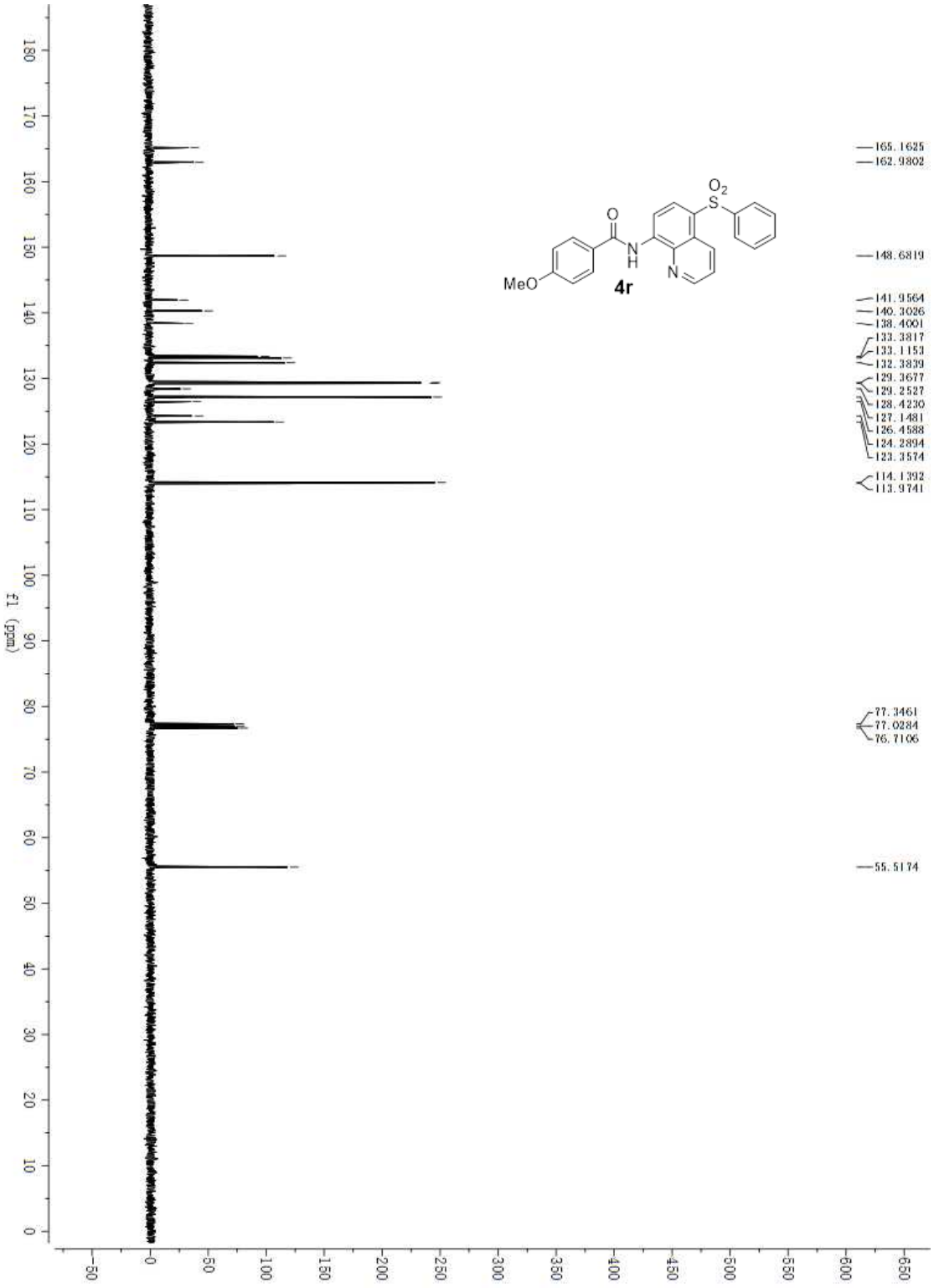

4p
f1 (ppm)
10.9136
9.0748
9.0713
9.0530
9.0495
9.0139
8.9929
8.8748
8.8714
8.8644
8.8609
8.5763
8.5553
7.9681
7.9503
7.6084
7.5898
7.5786
7.5680
7.5375
7.5317
7.5198
7.5003
7.4810
7.4636
7.2721
7.2514
7.2300
7.2086
0.0001
0.96
1.03
1.00
0.99
1.00
2.02
2.03
1.04
2.99
2.00


4p
166.5463
164.5044
164.0249
148.8249
141.8361
139.8824
138.3409
133.4352
133.1937
132.2217
130.4353
130.4049
129.9049
129.8138
129.2866
128.9918
127.1756
124.2477
123.4631
116.1791
115.9601
114.1745
77.3736
77.0548
76.7376
f1 (ppm)


4q
10.9236
9.0760
9.0724
9.0542
9.0506
9.0118
8.9908
8.8752
8.8715
8.8647
8.8611
8.5751
8.5541
8.0054
7.9839
7.9667
7.9490
7.6019
7.5914
7.5801
7.5696
7.5378
7.5290
7.5240
7.5203
7.5167
7.5075
7.5005
7.4810
7.4676
7.4636
7.4600
7.2702
0.0000
0.93
0.97
0.97
1.00
1.00
2.00
2.01
1.01
4.98
f1 (ppm)


164.5284
148.8518
141.8193
139.7653
138.8128
138.3338
133.4527
133.2041
132.5897
132.1955
129.2911
129.2243
129.1287
128.8111
127.1845
124.2447
123.4795
114.2581
77.3686
77.0505
76.7331
O2
S
O
N
H
N
Cl
4q
f1 (ppm)
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
0
500
1000
1500
2000
2500


MeO
O
N
H
N
S
O2
4r
10.9077
9.0675
9.0647
9.0455
9.0421
9.0380
9.0167
8.8754
8.8726
8.8653
8.8625
8.5814
8.5603
8.0469
8.0250
7.9651
7.9470
7.5910
7.5805
7.5692
7.5587
7.5328
7.5271
7.5151
7.4968
7.4774
7.4601
7.2683
7.0504
7.0284
3.8966
0.0005
0.96
1.06
0.94
1.01
1.00
2.06
2.02
1.12
2.96
2.01
3.08
f1 (ppm)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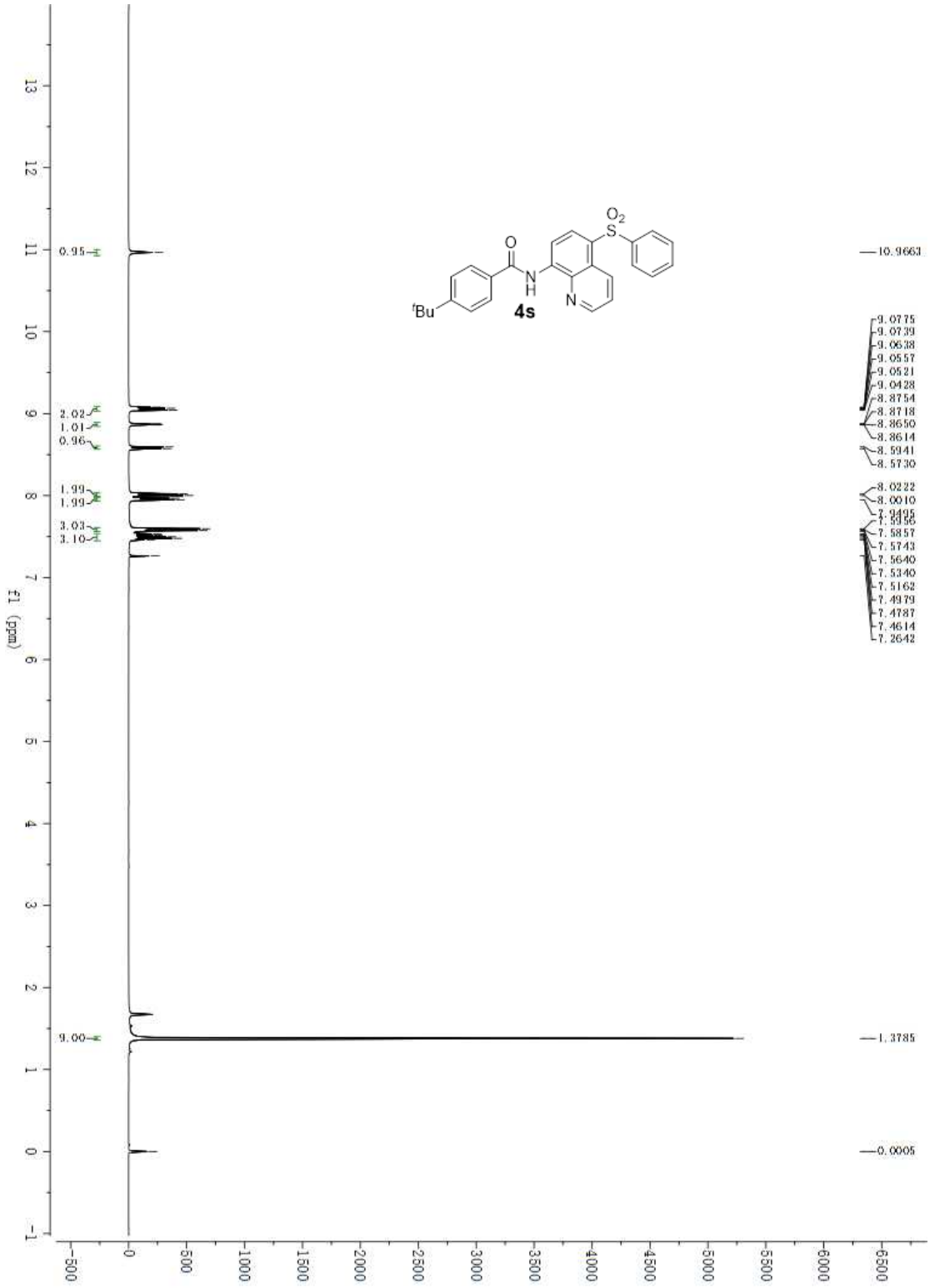
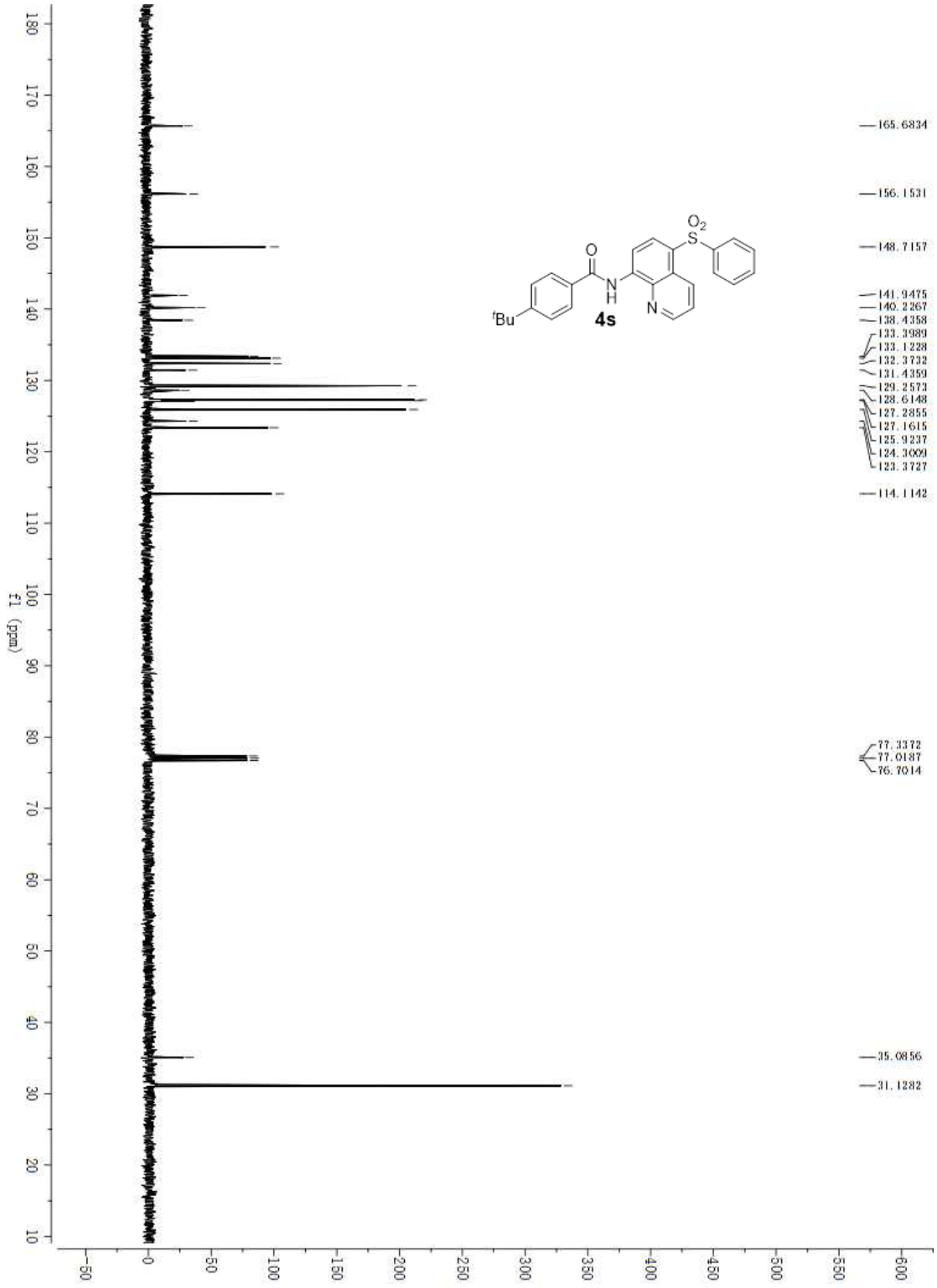
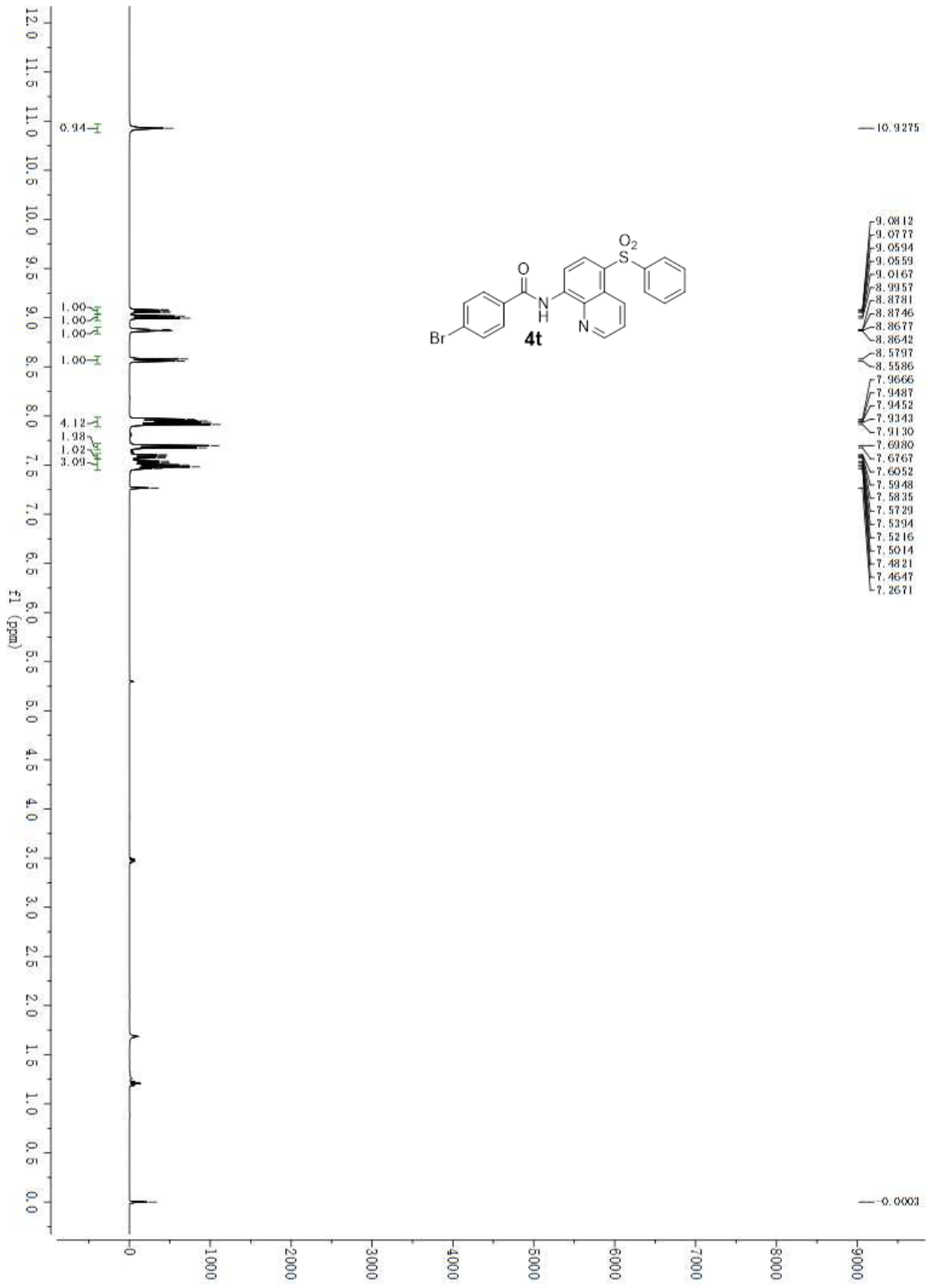
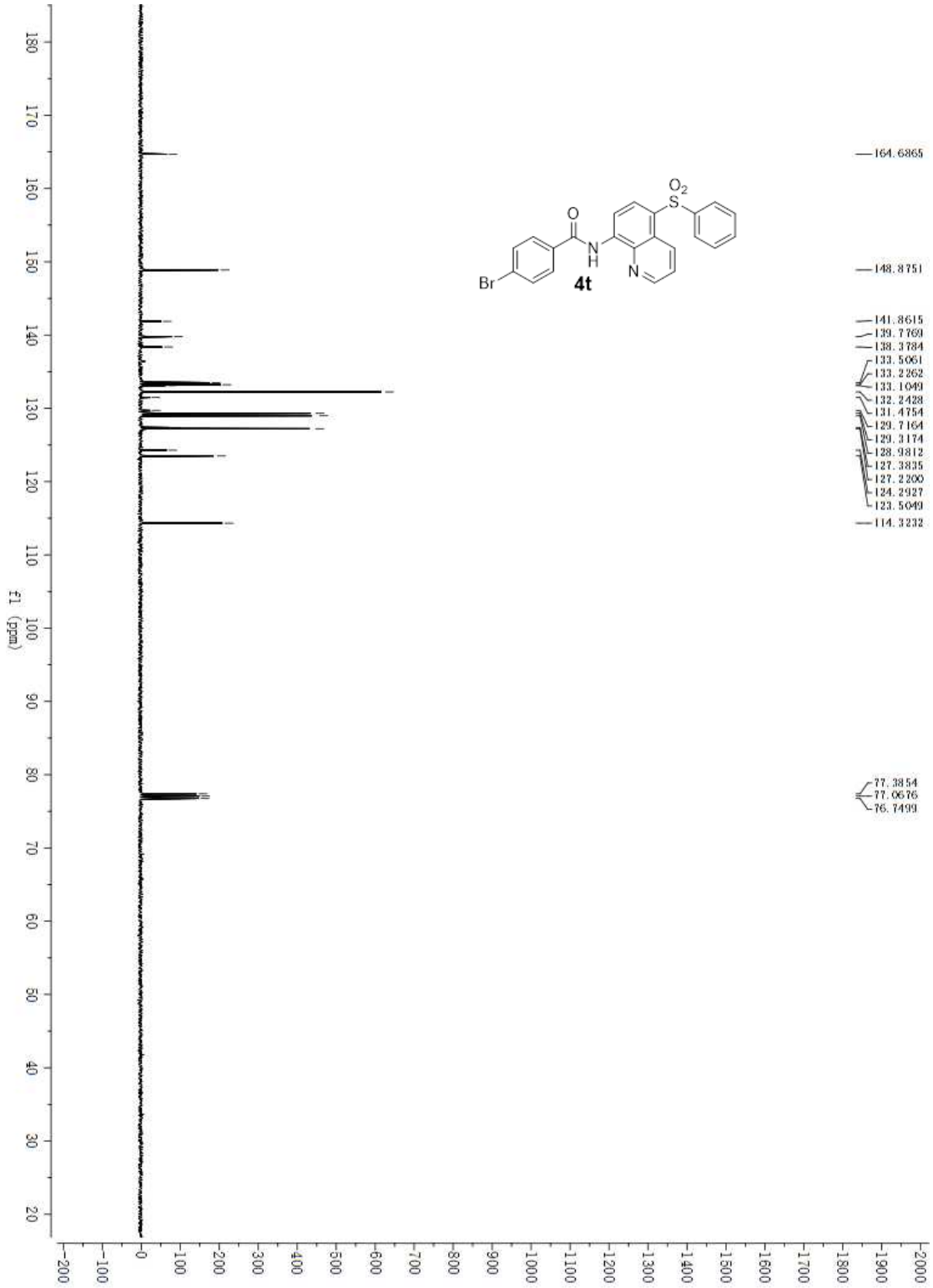
162.9802
148.6819
141.9564
140.3026
138.4001
133.3817
133.1153
132.3839
129.3677
129.2527
128.4230
127.1481
126.4588
124.2894
123.3574
114.1392
113.9741
77.3461
77.0284
76.7106
55.5174
MeO
4r
f1 (ppm)


4s
0.95
2.02
1.01
0.96
1.99
1.99
3.03
3.10
9.00
10.9663
9.0775
9.0739
9.0638
9.0557
9.0521
9.0428
8.8754
8.8718
8.8650
8.8614
8.5941
8.5730
8.0222
8.0010
7.9495
7.5956
7.5857
7.5743
7.5640
7.5340
7.5162
7.4979
7.4787
7.4614
7.2642
1.3785
0.0005
f1 (ppm)


165.6834
156.1531
148.7157
141.9475
140.2267
138.4358
133.3989
133.1228
132.3732
131.4359
129.2573
128.6148
127.2855
127.1615
125.9237
124.3009
123.3727
114.1142
77.3372
77.0187
76.7014
35.0856
31.1282
f1 (ppm)
4s


0.94
1.00
1.00
1.00
1.00
4.12
1.98
1.02
3.09
f1 (ppm)
10.9275
9.0812
9.0777
9.0594
9.0559
9.0167
8.9957
8.8781
8.8746
8.8677
8.8642
8.5797
8.5586
7.9666
7.9487
7.9452
7.9343
7.9130
7.6080
7.6767
7.6052
7.5948
7.5835
7.5729
7.5394
7.5216
7.5014
7.4821
7.4647
7.2671
0.0000
Br
O
N
H
N
O2
S
4t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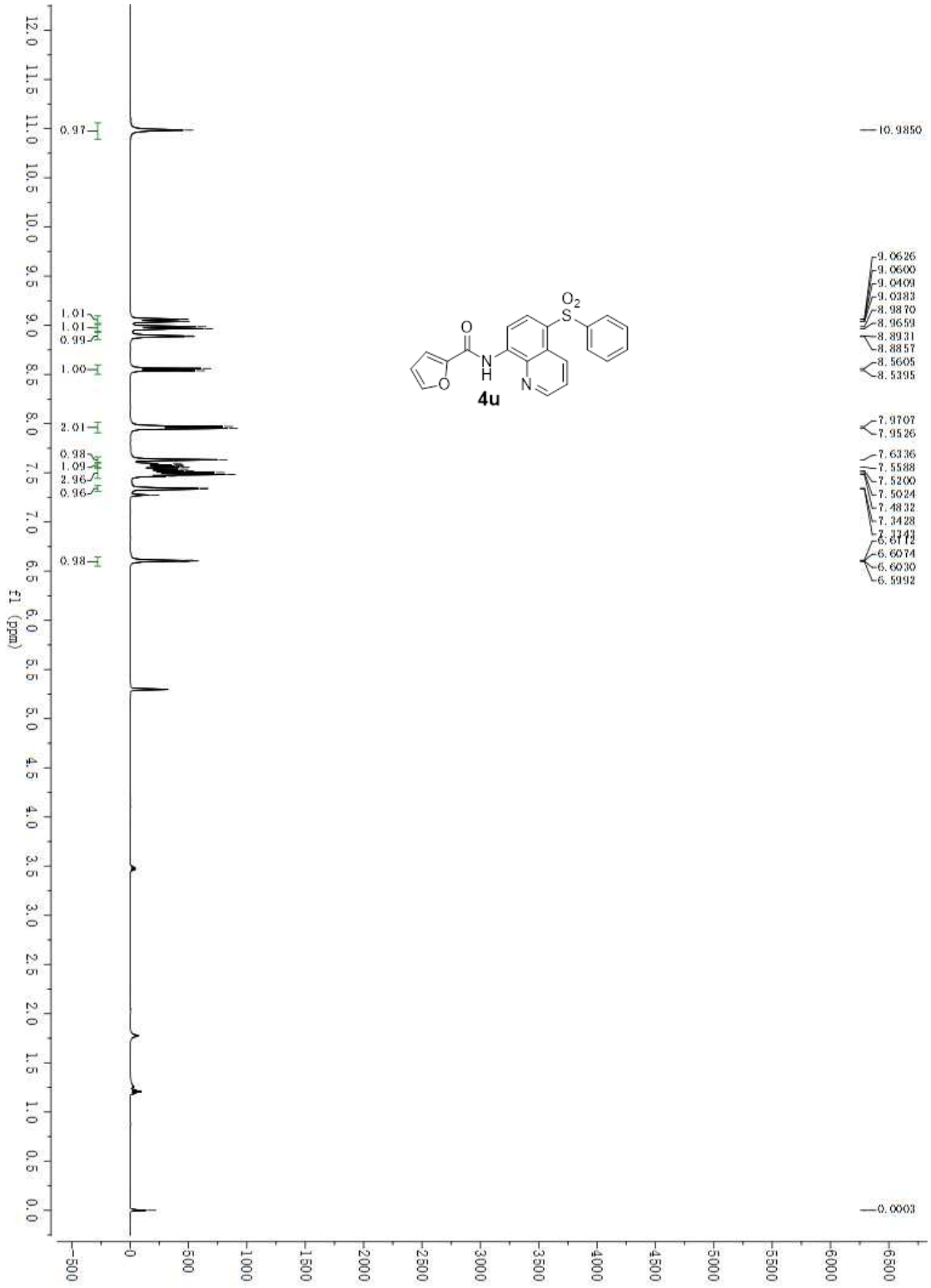
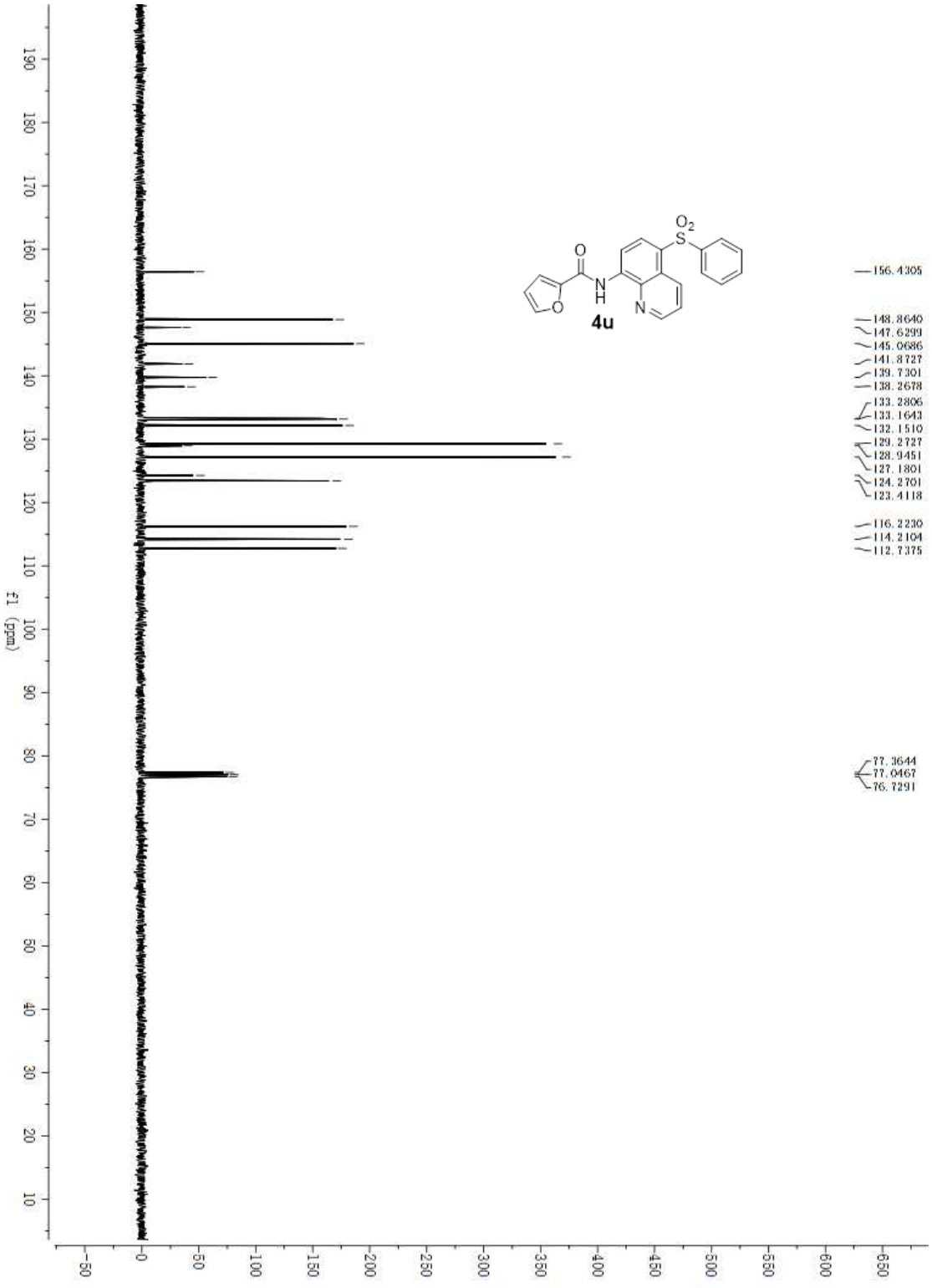
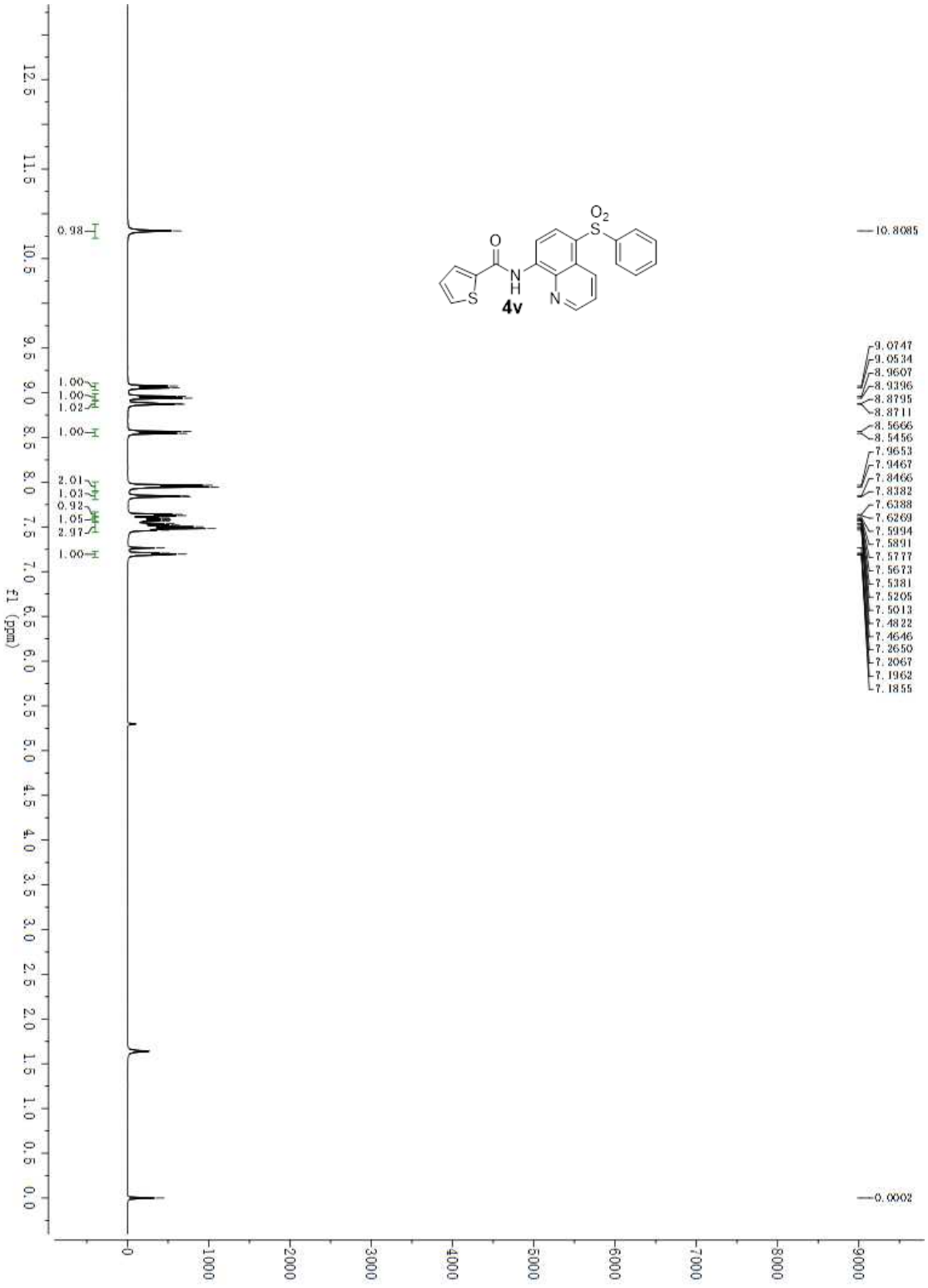
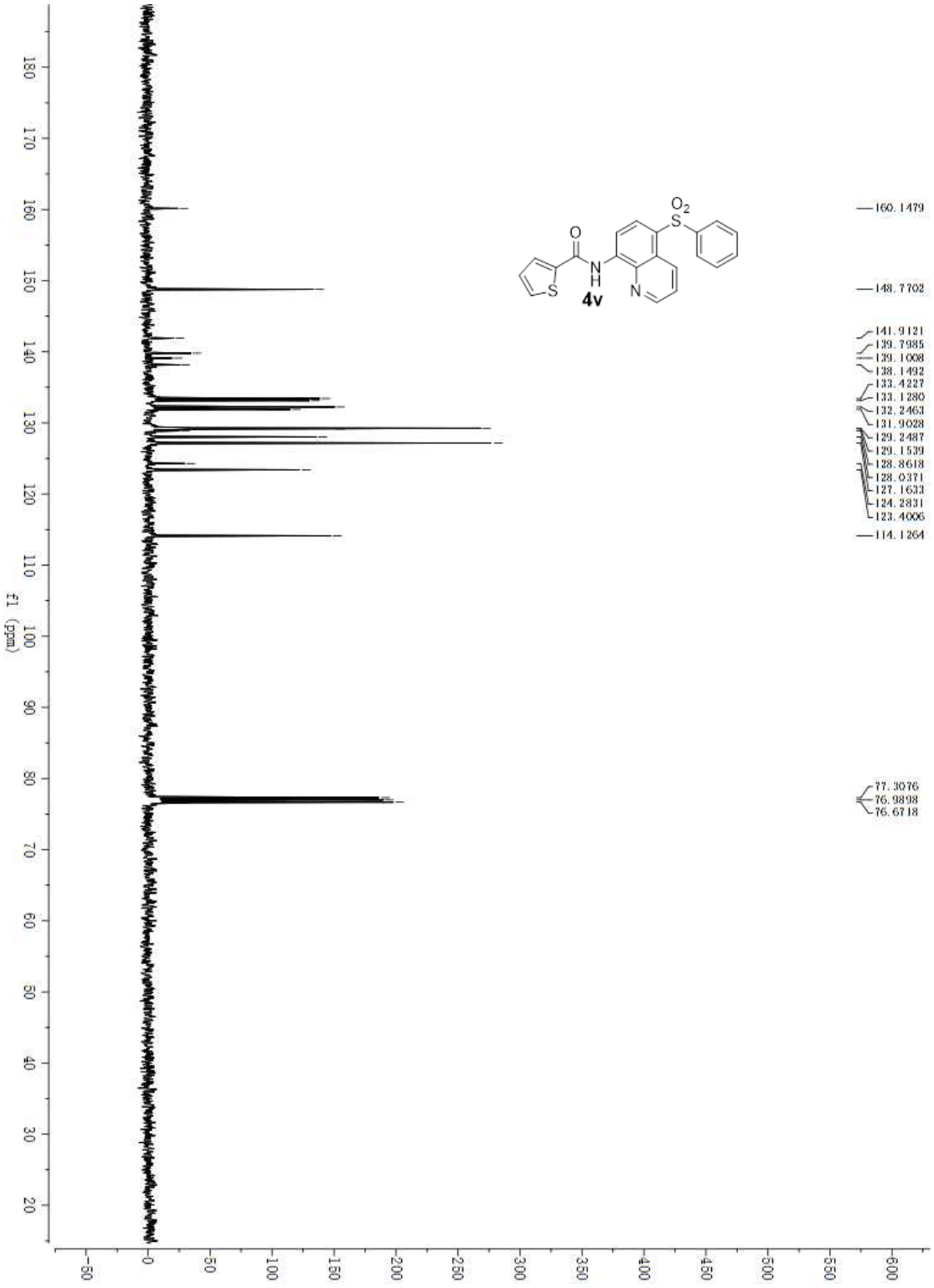
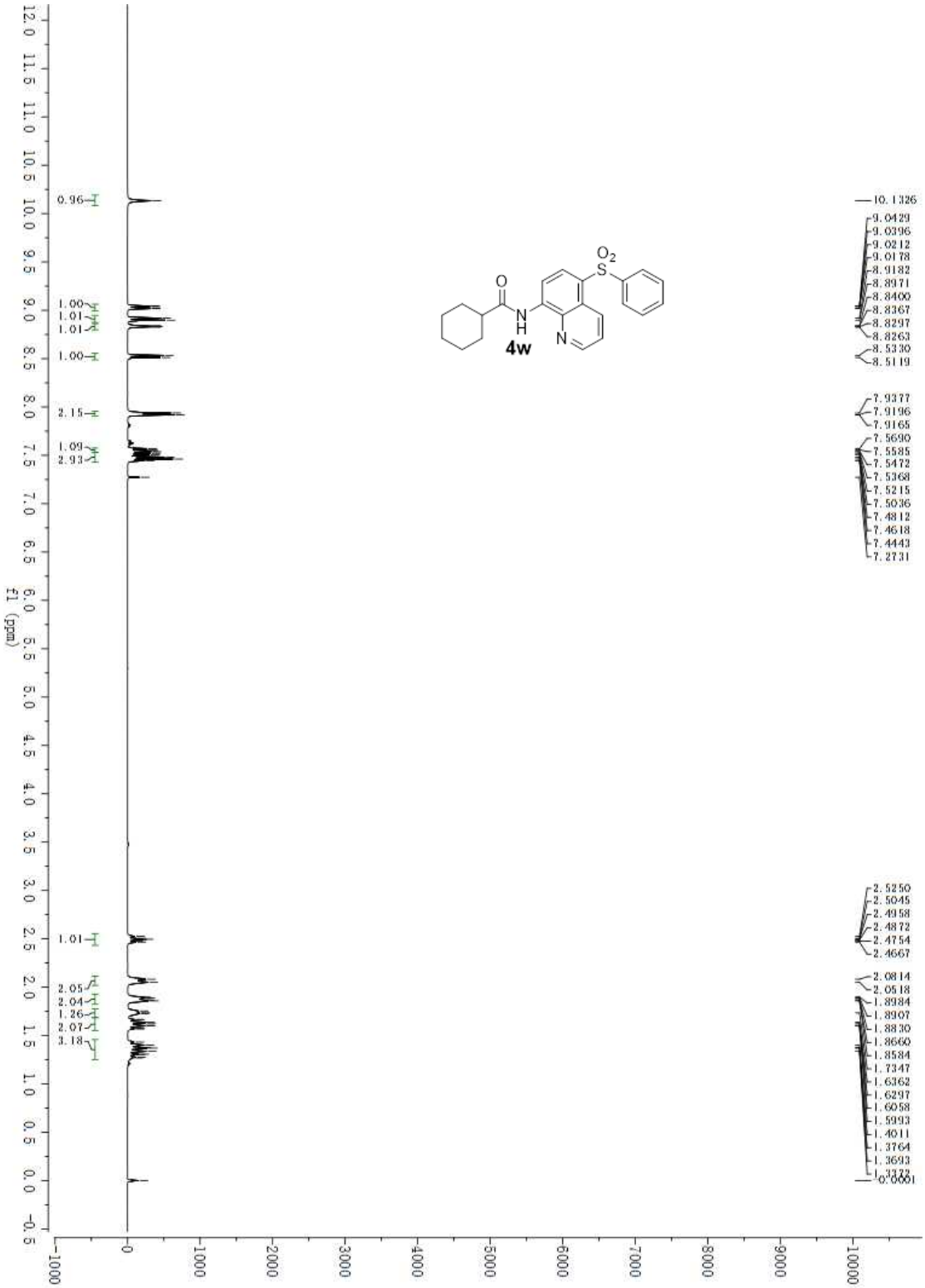
148.8751
141.8615
139.7769
138.3784
133.5061
133.2262
133.1049
132.2428
131.4754
129.7164
129.3174
128.9812
127.3835
127.2200
124.2927
123.5049
114.3232
77.3854
77.0676
76.7499
4t
f1 (ppm)

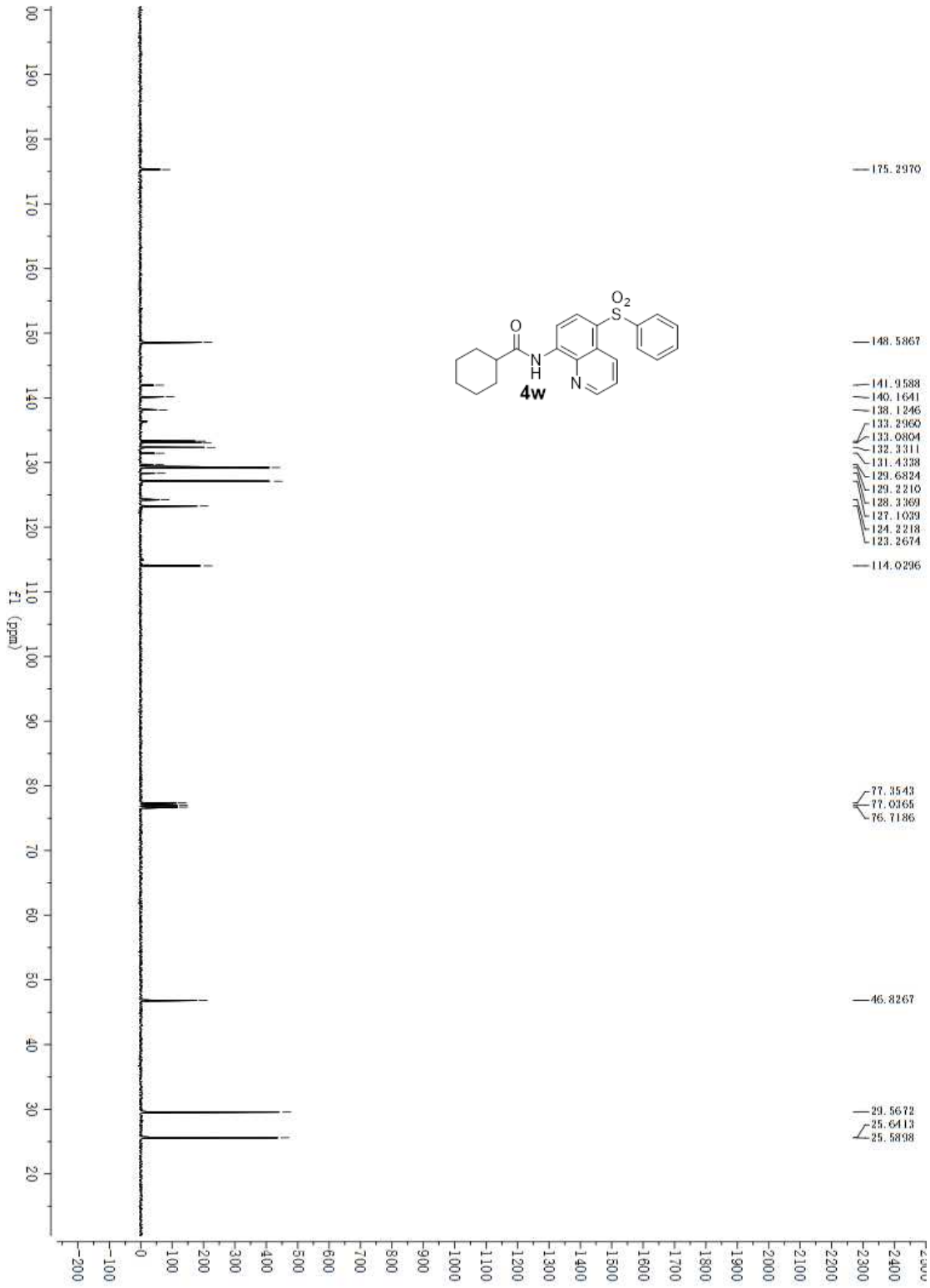

4u
f1 (ppm)
0.97
1.01
1.01
0.99
1.00
2.01
0.98
1.09
2.96
0.96
0.98
10.9850
9.0626
9.0600
9.0409
9.0383
8.9870
8.9659
8.8931
8.8857
8.5605
8.5395
7.9707
7.9526
7.6336
7.5588
7.5200
7.5024
7.4832
7.3428
6.6074
6.6030
6.5992
0.0003


4u
156.4305
148.8640
147.6299
145.0686
141.8727
139.7301
138.2678
133.2806
133.1643
132.1510
129.2727
128.9451
127.1801
124.2701
123.4118
116.2230
114.2104
112.7375
77.3644
77.0467
76.7291
f1 (ppm)


4v
10.8085
9.0747
9.0534
8.9607
8.9396
8.8795
8.8711
8.5666
8.5456
7.9653
7.9467
7.8466
7.8382
7.6388
7.6269
7.5994
7.5891
7.5777
7.5673
7.5381
7.5205
7.5013
7.4822
7.4646
7.2650
7.2067
7.1962
7.1855
0.0002
0.98
1.00
1.00
1.02
1.00
2.01
1.03
0.92
1.05
2.97
1.00
f1 (ppm)


160.1479
148.7702
141.9121
139.7985
139.1008
138.1492
133.4227
133.1280
132.2463
131.9028
129.2487
129.1539
128.8618
128.0371
127.1633
124.2831
123.4006
114.1264
77.3076
76.9898
76.6718
4v
f1 (ppm)


O2
S
O
N
H
N
4w
10.1326
9.0429
9.0396
9.0212
9.0178
8.9182
8.8971
8.8400
8.8367
8.8297
8.8263
8.5330
8.5119
7.9377
7.9196
7.9165
7.5690
7.5585
7.5472
7.5368
7.5215
7.5036
7.4812
7.4618
7.4443
7.2731
2.5250
2.5045
2.4958
2.4872
2.4754
2.4667
2.0814
2.0518
1.8984
1.8907
1.8830
1.8660
1.8584
1.7347
1.6362
1.6297
1.6058
1.5993
1.4011
1.3764
1.3693
1.3372
0.0001
0.96
1.00
1.01
1.01
1.00
2.15
1.09
2.93
1.01
2.05
2.04
1.26
2.07
3.18
f1 (ppm)


175.2970
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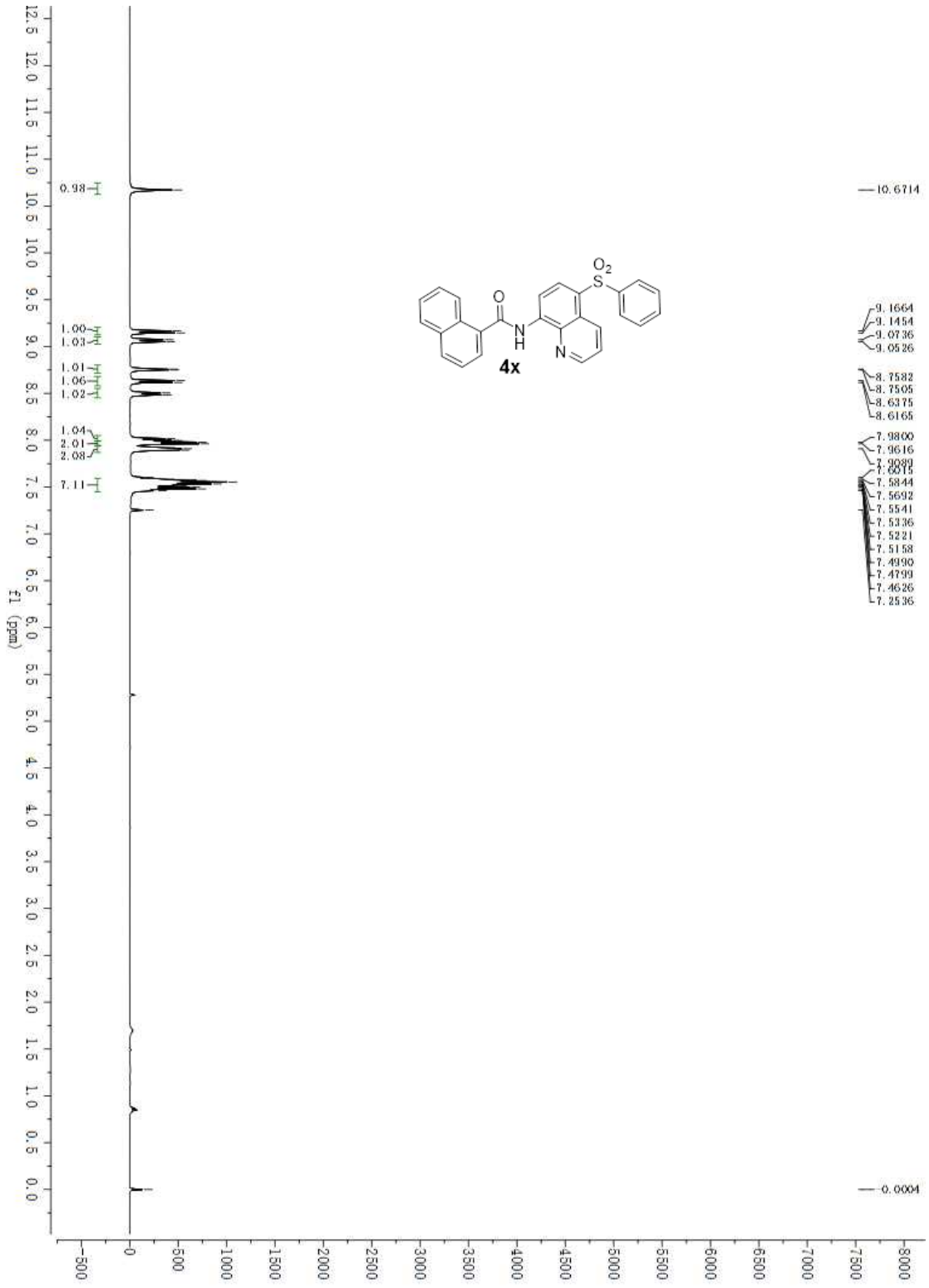
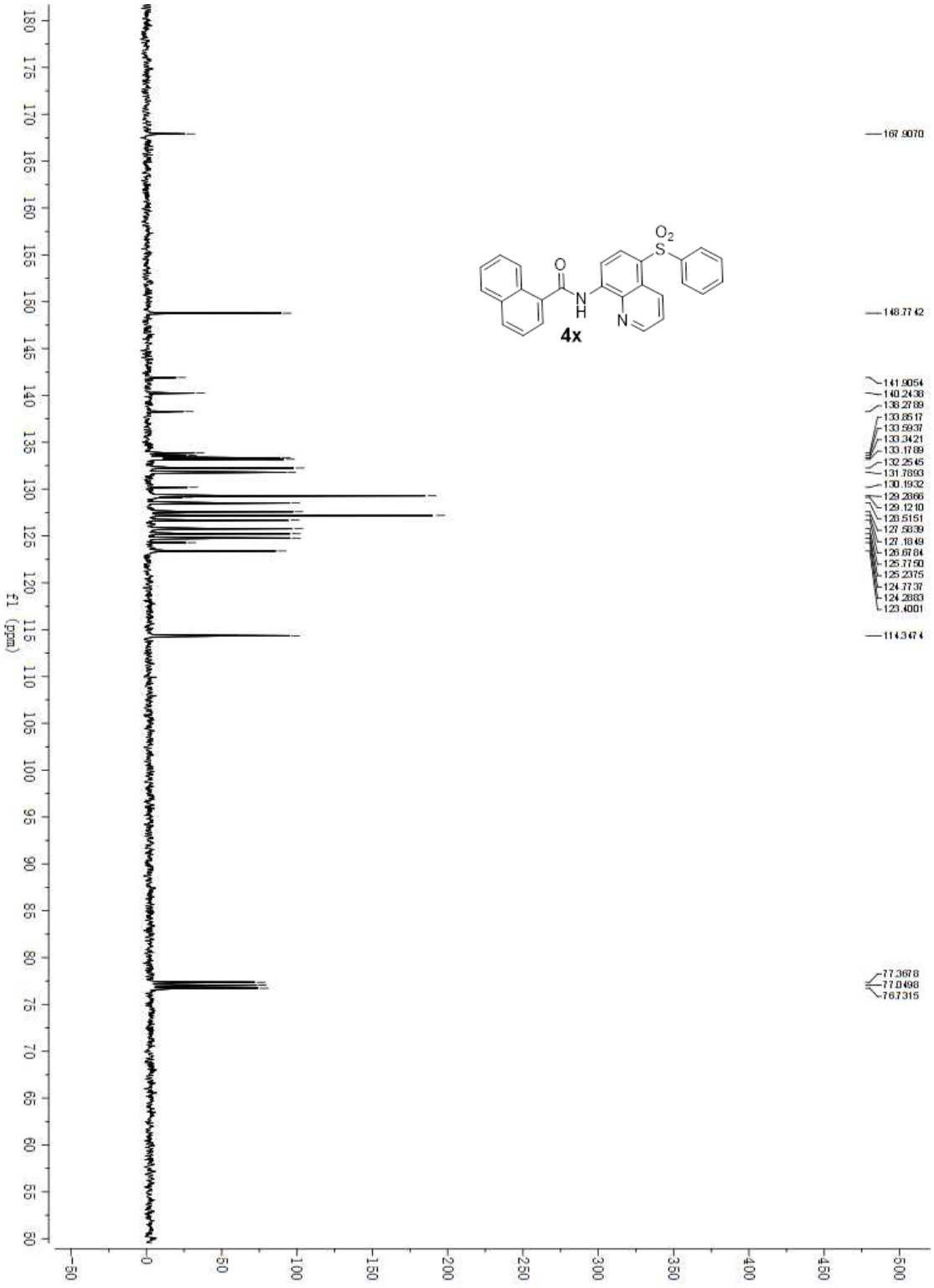
141.9588
140.1641
138.1246
133.2960
133.0804
132.3311
131.4338
129.6824
129.2210
128.3369
127.1039
124.2218
123.2674
114.0296
77.3543
77.0365
76.7186
46.8267
29.5672
25.6413
25.5898
f1 (ppm)
4w


4x
f1 (ppm)
0.98
1.00
1.03
1.01
1.06
1.02
1.04
2.01
2.08
7.11
10.6714
9.1664
9.1454
9.0736
9.0526
8.7582
8.7505
8.6375
8.6165
7.9800
7.9616
7.9089
7.6015
7.5844
7.5692
7.5541
7.5336
7.5221
7.5158
7.4990
7.4799
7.4626
7.2536
0.0004


O2
S
O
N
H
N
4x
167.9070
148.7742
141.9054
140.2438
138.2789
133.8517
133.5937
133.3421
133.1789
132.2545
131.7893
130.1932
129.2866
129.1210
128.5151
127.5839
127.1849
126.6784
125.7750
125.2375
124.7737
124.2883
123.4001
114.3474
77.3678
77.0498
76.7315
f1 (ppm)