Electronic Supplementary Material (ESI) for Chemical Communications

Enantioselective Synthesis of Diaryl Aziridines Using Tetrahydrothiophene-Based Chiral Sulfides as Organocatalysts

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Supporting Information

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Experimental Procedures and Characterization Data:

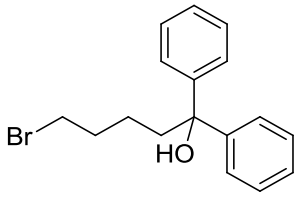
General information:

All reactions were carried out under an inert atmosphere unless mentioned otherwise, and standard syringe–septa techniques were followed. Solvents were freshly dried and purified by conventional methods prior to use. The progress of all the reactions were monitored by TLC, using TLC glass plates precoated with silica gel 60 F_{254} (Merck). Column chromatography was performed on silica gel Geduran® Si 60 (Merck). Optical rotation values were measured with Jasco P-2000 polarimeter, and IR spectra were recorded with Thermo Nicolet iS-5 FT-IR spectrophotometer, ν_{max} in cm^{-1}. ^{1}H and ^{13}C NMR spectra were recorded with Bruker AV-III 400 MHz, Bruker AV-400, or AV-500 MHz spectrometers and chemical shifts were measured in δ (ppm) with residual solvent peaks as internal standards ($CDCl_3$, δ 7.26 ppm in ^{1}H NMR, δ 77 ppm in ^{13}C NMR). Coupling constants *J*, measured in Hz. HR FAB (LR FAB) and HR EI (LR EI)-mass spectra were recorded on a JMS-700 double focusing mass spectrometer (JEOL, Tokyo, Japan) with a resolution of 8000(3000) (5% valley definition) and HR (LR) ESI (Electrospray)-mass spectra were recorded using dual ionization ESCi® (ESI/APCi) source options, Waters LCT premier XE (Waters Corp., Manchester, UK). Melting points were recorded on Buchi 520 apparatus. The determination of ee was performed *via* chiral phase HPLC analysis using Agilent 1200 series HPLC workstation.

General procedure for synthesis of Alcohols 4a-4c:

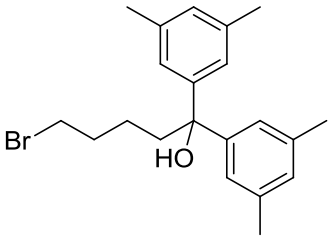
To a solution of aryl magnesium bromide (2 mmol) freshly prepared from magnesium powder and aryl bromide in THF (4 mL) was added a solution of ethyl 5-bromovalerate (500 mg, 2.39 mmol) in THF (2 mL) dropwisely at 0 ℃. After stirring for 12 h at room temperature, the reaction mixture was quenched with sat. NH_4Cl (5 mL) at 0 ℃ and extracted with EtOAc (20 mL × 3). The combined organic layers were washed with sat. $NaHCO_3$ (10 mL × 2) and brine, dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (EtOAc/hexane) to give the pure product.

5-Bromo-1,1-diphenylpentan-1-ol (4a)[1]



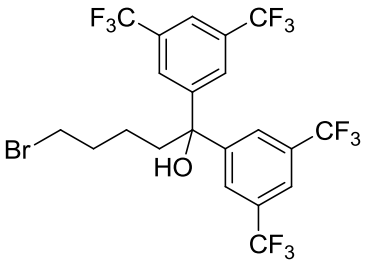
Colorless liquid; Yield - 90%; R_f (10% EtOAc/hexane) 0.3; Prepared as shown in general procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.48−7.26 (m, 10H), 3.36 (t, *J* = 7.0 Hz, 2H), 2.42 (s, 1H), 2.35−2.31 (m, 2H), 1.91 (dt, *J* = 14.5, 7.1 Hz, 2H), 1.52−1.44 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 146.7, 128.0, 126.7, 125.9, 77.9, 40.8, 33.3, 32.9, 22.4.

5-Bromo-1,1-bis(3,5-dimethylphenyl)pentan-1-ol (4b)



Colorless liquid; Yield - 95%; R_f (10% EtOAc/hexane) 0.4; Prepared as shown in general procedure. **IR** (neat): 3549, 3005, 2946, 2916, 2863, 1599, 1453, 1376, 1247, 1149, 1038, 851, 742, 719 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.01 (s, 4H), 6.87 (s, 2H), 3.38 (t, J = 7.0 Hz, 2H), 2.29 (s, 12H), 2.25-2.21 (m, 2H), 2.02 (s, 1H), 1.91 – 1.87 (m, 2H), 1.47 – 1.39 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 146.9, 137.5, 128.5, 123.7, 77.9, 41.1, 33.4, 33.2, 22.6, 21.5; **ESI-HRMS** *(m/z)*: Calcd for $C_{21}H_{27}BrONa$ $[(M+Na)^+]$ 397.1143, found $[(M+Na)^+]$ 397.1144.

1,1-Bis(3,5-bis(trifluoromethyl)phenyl)-5-bromopentan-1-ol (4c)

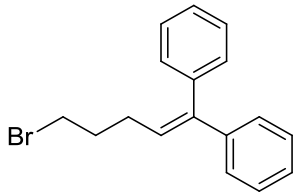


Colorless liquid; Yield - 85%; R_f (10% EtOAc/hexane) 0.18; Prepared as shown in general experimental procedure. **IR** (neat): 3518, 3097, 2952, 2868, 1624, 1466, 1278, 1132, 900, 844, 710, 682 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89 (s, 4H), 7.82 (s, 2H), 3.40 (t, J = 6.4 Hz, 2H), 2.52 (s, 1H), 2.40 – 2.36 (m, 2H), 1.97 – 1.90 (m, 2H)), 1.50 – 1.42 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ147.8, 132.2 (q, J = 33.3 Hz), 126.0, 123.1 (q, J = 271.2 Hz), 121.9, 40.7, 32.9, 32.1, 21.8; **ESI-HRMS** *(m/z)*: Calcd for $C_{21}H_{14}BrF_{12}O$ $[(M-H)^-]$ 589.0036, found $[(M-H)^-]$ 589.0042.

General procedure for synthesis of Olefins 5a-5c:

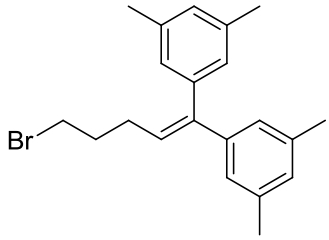
A stirred solution of diaryl alcohol (1 mmol) and *p*-TsOH (5% wt) in toluene (4 mL) was heated to 70 ℃ for 3 h. After cooling down to room temperature, the reaction mixture was diluted with DI water (10 mL), extracted with EtOAc (10 mL × 3), dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (hexane) to give the pure product.

5,5-Diphenyl-4-pentenyl bromide (5a)[1]



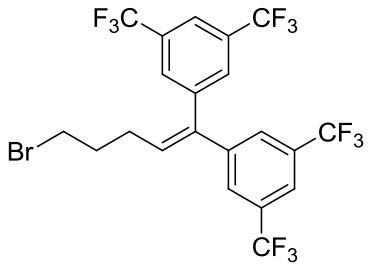
Colorless oil; Yield - 88%; R_f (hexane) 0.25; Prepared as shown in general procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.39−7.16 (m, 10H), 6.04 (t, *J* = 7.4 Hz, 1H), 3.37 (t, *J* = 6.9 Hz, 2H), 2.26 (dd, *J* = 14.7, 7.4 Hz, 2H), 2.03−1.95 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.0, 142.4, 139.8, 129.8, 128.2, 128.1, 127.5, 127.2, 127.0, 33.1, 33.0, 28.4.

5,5'-(5-Bromopent-1-ene-1,1-diyl)bis(1,3-dimethylbenzene) (5b)



Colorless oil; Yield - 92%; R_f (hexane) 0.45; Prepared as shown in general procedure. **IR** (neat): 3007, 2916, 1862, 1654, 1599, 1437, 1195, 850, 731 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.96 (s, 1H), 6.89 (s, 1H), 6.86 (s, 2H), 6.79 (s, 2H), 5.96 (t, *J* = 7.6 Hz, 1H), 3.41 (t, *J* = 6.8 Hz, 2H), 2.34 (s, 6H), 2.28 (s, 6H), 2.64 – 2.27 (m, 2H), 2.05 – 1.99 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.4, 142.7, 139.9, 137.5, 137.5, 137.5, 128.7, 128.6, 128.5, 127.5, 127.4, 127.0, 127.0, 125.1, 125.1, 33.2, 28.4, 21.3, 21.3; **EI-HRMS** *(m/z)*: Calcd for $C_{21}H_{25}Br$ [$(M)^+$] 356.1140, found [$(M)^+$] 356.1139.

5,5'-(5-Bromopent-1-ene-1,1-diyl)bis(1,3-bis(trifluoromethyl)benzene) (5c)



Colorless oil; Yield - 95%; R_f (10% EtOAc/hexane) 0.55; Prepared as shown in general procedure. **IR** (neat): 3089, 2933, 2868, 1614, 1468, 1373, 1279, 1128, 901, 708, 721 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.81 (s, 1H), 7.65 (s, 2H), 7.58 (s, 2H), 6.30 (t, *J* = 7.6 Hz, 1H), 3.40 (t, *J* = 6.4 Hz, 2H), 2.35 – 2.29 (m, 2H), 2.10 – 2.03 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ143.0, 138.4, 134.1, 132.9, 132.6, 132.3, 132.0, 131.6, 129.8, 127.0, 124.4, 122.2, 121.7, 121.6, 32.3, 32.1, 28.4; **EI-HRMS** *(m/z)*: Calcd for $C_{21}H_{13}BrF_{12}$ [$(M)^+$] 572.0009, found [$(M)^+$] 571.9999.

General procedure for synthesis of Epoxides 6a-6c:

A combined solution of 5,5-diaryl-4-pentenyl bromide (1.0 mmol) in CH_3CN/dimethoxymethane (1:2, v/v, 7.5 mL), and $Na_2B_4O_7 \cdot 10H_2O$ (190.5 mg, 0.5 mmol), tetrabutylammonium hydrogen sulfate (13.6 mg, 0.04 mmol), and Shi catalyst[2] (129 mg, 0.5 mmol) in a buffer solution (4×10^{-4} M aqueous Na_2(EDTA), 5 mL) was cooled to −5 °C and stirred in an ice bath. A solution of Oxone (1.5 g, 0.5 mmol) in aqueous Na_2(EDTA) (4×10^{-4} M, 6.25 mL) and a solution of K_2CO_3 (1.6 g, 10.35 mmol) in DI water (6.25 mL) were respectively added dropwisely through addition syringes respectively over a period of 3 h at −5 °C. Upon completion of the addition, the reaction was stirred for another 1 h at the same temperature. After dilution with DI water (20 mL), the resulting mixture was extracted with hexane (20 mL × 2), dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (EtOAc/hexane, 1:40 to 1:25) to afford the pure product.

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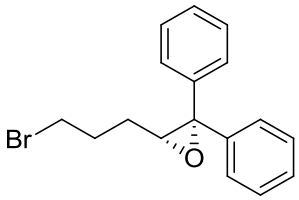
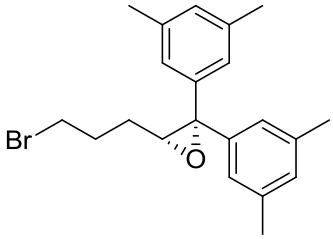
3-(3-Bromopropyl)-2,2-diphenyloxirane (6a)[1]



Colorless liquid; Yield - 94%; R_f (5% EtOAc/hexane) 0.32; Prepared as shown in general procedure**.** **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.40−7.23 (m, 10H), 3.44−3.30 (m, 3H), 2.13−1.94 (m, 2H), 1.69 (ddd, *J* = 14.0, 9.8, 5.3 Hz, 1H), 1.33 (m, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 140.7, 137.2, 128.2, 128.1, 127.9, 127.8, 127.6, 126.9, 66.1, 65.4, 32.9, 29.6, 28.2; enantioselectivity was determined by HPLC analysis (Chiralcel-OJ, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 4:1); retention time: 19.4 min (enantiomer) and 35.8 min (major).

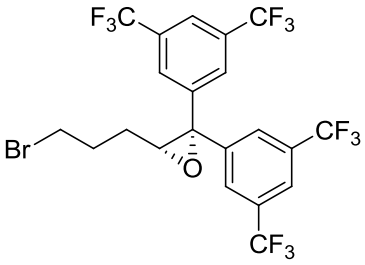
3-(3-Bromopropyl)-2,2-bis(3,5-dimethylphenyl)oxirane (6b)



Colorless liquid; Yield - 92%; R_f (5% EtOAc/hexane) 0.5; Prepared as shown in general procedure. **IR** (neat): 3005, 2963, 2916, 2863, 1604, 1545, 1248, 1203, 849, 728 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.00 (s, 2H), 6.96 (s, 2H), 6.95 (s, 1H), 6.94 (s, 1H), 3.48 – 3.35 (m, 3H), 2.32 (s, 6H), 2.29 (s, 6H), 2.12 – 2.00 (m, 2H), 1.71 (m, 1H), 1.35 (m, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 140.9, 137.8, 137.6, 137.4, 129.4,

129.3, 125.5, 124.7, 66.4, 65.1, 32.9, 29.8, 28.2, 21.3, 21.3; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{21}H_{26}BrO$ [(M+H)$^+$] 373.1167, found [(M+H)$^+$] 373.1162; enantioselectivity was determined by HPLC analysis (Chiralpak-AD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19:1); retention time: 3.6 min (enantiomer) and 4.1 min (major).

2,2-Bis(3,5-bis(trifluoromethyl)phenyl)-3-(3-bromopropyl)oxirane (6c)

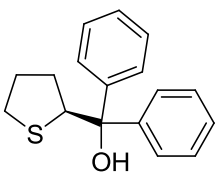


Colorless liquid; Yield - 80% (based on recovered starting material); R_f (5% EtOAc/hexane) 0.38; Prepared as shown in general procedure. **IR** (neat): 3094, 2970, 2852, 1623, 1466, 1389, 1279, 1132, 901, 709, 681 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 (s, 1H), 7.89 (s, 2H), 7.87 (s, 1H), 7.76 (s, 2H), 3.46 – 3.38 (m, 3H), 2.21 – 1.97 (m, 2H), 1.78 (m, 1H), 1.27 (m, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 141.6, 138.4, 132.5 (q, $J_{C\text{-}F}$ = 33.8 Hz), 128.0, 126.9, 122.9 (q, $J_{C\text{-}F}$ = 273.4 Hz), 122.8, 122.7, 66.3, 64.5, 32.2, 29.2, 27.8; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{21}H_{13}BrF_{12}O$ [(M)$^+$] 587.9958 found [(M)$^+$] 587.9951; enantioselectivity was determined by HPLC analysis (Chiralpak-IA, 0.3 mL/min, 220 nm, hexane); retention time: 15.0 min (major) and 16.0 min (enantiomer).

General procedure for synthesis of ((*S*)-Thiolan-2-yl)diarylmethanol 1a-1c:

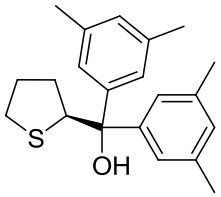
A mixture of the (*R*)-3-(3-bromopropyl)-2,2-diaryloxirane (3.0 mmol) and $Na_2S\cdot 9H_2O$ (1.4 g, 6.0 mmol) in 95% ethanol (10.5 mL) was sonicated at 10−25 °C for 24 h (conversion is monitored by the crude ^{1}H NMR). Upon removal of ethanol, the crude residue was diluted with DI water (20 mL) and CH_2Cl_2 (20 mL). The aqueous layer was extracted with CH_2Cl_2 (10 mL × 2). The combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (EtOAc/hexane, 1:99 to 1:20) and recrystallized from hexane or light petroleum ether to afford the pure product.

((S)-Thiolan-2-yl)diphenylmethanol (1a)[1]



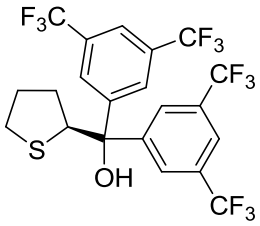
Yield - 95%; R_f (2% EtOAc/hexane) 0.28; Prepared as shown in general procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.54−7.51 (m, 2H), 7.44−7.41 (m, 2H), 7.30−7.22 (m, 4H), 7.21−7.12 (m, 2H), 4.66 (dd, J = 8.4, 6.8 Hz, 1H), 3.53 (s, 1H), 2.86−2.83 (m, 2H), 2.16 (m, 1H), 1.87−1.73 (m, 2H), 1.62 (m, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 148.0, 145.0, 128.2, 128.0, 127.1, 126.5, 126.1, 125.4, 77.9, 59.5, 33.4, 31.7; enantioselectivity was determined by HPLC analysis (Chiralpak-AD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1); retention time 6.0 min (enantiomer) and 8.5 min (major).

(*S*)-Bis(3,5-dimethylphenyl)(tetrahydrothiophen-2-yl)methanol (1b)



Yield - 92%; R_f (2% EtOAc/hexane) 0.32; Prepared as shown in general procedure. **IR** (neat): 3468, 2918, 2860, 1601, 1441, 1146, 851, 755, 732 cm^{-1}; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.19 (s, 2H), 7.11 (s, 2H), 6.87 (s, 1H), 6.83 (s, 1H), 4.68 (t, J = 2 Hz, 1H), 3.52 (s, 1H), 2.86 – 2.84 (m, 2H), 2.35 (s, 6H), 2.31 (s, 6H), 2.20 (m, 1H), 1.87 – 1.78 (m, 2H), 1.67 (m, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ148.1, 145.0, 137.4, 137.3, 128.7, 128.1, 123.8, 123.1, 77.7, 59.7, 33.4, 31.8, 21.5; **ESI-HRMS** *(m/z)*: Calcd for $C_{21}H_{26}OSNa$ $[(M+Na)^+]$ 349.1602 found $[(M+Na)^+]$ 349.1608; enantioselectivity was determined by HPLC analysis (Chiralpak-AD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 199:1); retention time: 10.2 min (enantiomer) and 14.7 min (major).

(*S*)-Bis(3,5-bis(trifluoromethyl)phenyl)(tetrahydrothiophen-2-yl)methanol (1c)

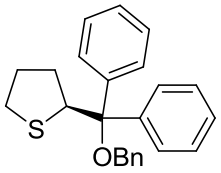


Yield - 90%; R_f (5% EtOAc/hexane) 0.49; Prepared as shown in general procedure. **IR** (neat): 3542, 2942, 2869, 1642, 1372, 1278, 1132, 900, 682 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.00 (s, 2H), 7.90 (s, 2H), 7.81 (s, 1H), 7.78 (s, 1H), 4.67 (m, 1H), 3.99 (s, 1H), 2.95 – 2.88 (m, 2H), 2.24 (m, 1H), 1.90 (m, 1H), 1.75 (m, 1H), 1.63 (m, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 148.9, 146.1, 132.1 (qd, $J_{C\text{-}F}$ = 33.8 Hz), 126.4, 125.6, 122.9 (q, $J_{C\text{-}F}$ = 273.4 Hz), 122.1, 121.7, 58.8, 33.7, 31.7, 31.7; **EI-HRMS** *(m/z)*: Calcd for $C_{21}H_{13}F_{12}OS$ $[(M-H)^+]$ 541.0496, found $[(M-H)^+]$ 541.0499; enantioselectivity was determined by HPLC analysis (Chiralcel-OD-H, 0.4 mL/min, 220 nm, hexane); retention time: 13.4 min (enantiomer) and 14.8 min (major).

General procedure for synthesis of (*S*)-2-((Benzyloxy)diarylmethyl)tetrahydrothiophene 2a-2c:

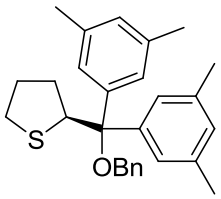
To a stirred solution of ((*S*)-thiolan-2-yl)diarylmethanol (1.9 mmol) in DMF (3.7 mL) at 0 ℃ was added sodium hydride (148 mg, 3.7 mmol). The reaction mixture was warmed to room temperature and stirred for 1 h. Benzyl bromide (0.27 mL, 2.2 mmol) was then slowly added to the reaction mixture. After 16 h, the reaction mixture was quenched with sat. NH_4Cl (5 mL) at 0 ℃, extracted with Et_2O (5 mL × 3), dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (EtOAc/hexane, 1:49) to give the pure product.

(*S*)-2-((Benzyloxy)diphenylmethyl)tetrahydrothiophene (2a)[1]



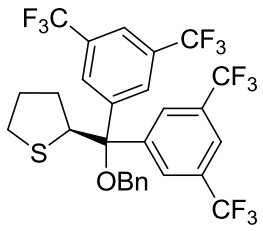
White solid; Yield - 92%; R_f (10% EtOAc/hexane) 0.5; Prepared as shown in general experimental procedure.). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.57−7.55 (m, 2H), 7.44 (d, *J* = 7.2 Hz, 2H), 7.34−7.16 (m, 11H), 4.66 (t, *J* = 7.2 Hz, 1H), 4.38 (d, *J* = 11.6 Hz, 1H), 4.21 (d, *J* = 11.5 Hz, 1H), 2.63 (m, 1H), 2.32 (ddd, *J* = 10.1, 8.2, 6.1 Hz, 1H), 1.98 (td, *J* = 12.7, 6.0 Hz, 1H), 1.84 (m, 1H), 1.64 (m, 1H), 1.34 (tt, *J* = 11.9, 5.9 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 129.3, 128.8, 128.0, 127.4, 127.2, 127.1, 127.0, 126.9, 126.9, 85.9, 65.5, 53.8, 32.6, 31.6, 30.2.

(*S*)-2-((Benzyloxy)bis(3,5-dimethylphenyl)methyl)tetrahydrothiophene (2b)



Colorless liquid; Yield -78% (based on recovered starting material); R_f (10% EtOAc/hexane) 0.53; Prepared as shown in general experimental procedure. **IR** (neat): 3058, 1604, 1512, 1205, 1123, 957, 726, 694, 526 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.37 – 7.31 (m, 3H), 7.25 – 7.17 (m, 4H), 7.05 (s, 2H), 6.92 (s, 1H), 6.88 (s, 1H), 4.59 (t, *J* = 6.9 Hz, 1H), 4.44 (d, *J* = 9.6 Hz, 1H), 4.38 (d, *J* = 9.6 Hz, 1H), 2.70 (m, 1H), 2. 43(m, 1H), 2.30 (s, 6H), 2.28 (s, 6H), 1.96 (m, 1H), 1.84 (m, 1H), 1.70 (m, 1H), 1.50 (m, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ143.7, 141.7, 136.7, 136.3, 130.8, 128.8, 128.7, 128.4, 128.1, 127.7, 127.2, 126.9, 126.5, 65.9, 54.7, 32.8, 31.1, 30.4, 21.6; **ESI-HRMS** *(m/z)*: Calcd for $C_{28}H_{32}OSNa$ $[(M+Na)^+]$ 439.2072, found $[(M+Na)^+]$ 439.2070.

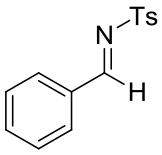
(*S*)-2-((Benzyloxy)bis(3,5-bis(trifluoromethyl)phenyl)methyl)tetrahydrothiophene (2c)



Colorless liquid; Yield - 82% (based on recovered starting material); R_f (10% EtOAc/hexane) 0.5; Prepared as shown in general experimental procedure. **IR** (neat): 3092, 2936, 2868, 1623, 1466, 1374, 1279, 1133, 900, 710, 682 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.02 (s, 2H), 7.89 (s, 1H), 7.88 (s, 1H), 7.85 (s, 2H), 7.35 – 7.29 (m, 3H), 7.20 – 7.19 (m, 2H), 4.66 (t, *J* = 7.2 Hz, 1H), 4.32 (d, *J* = 10.8 Hz, 1H), 4.27 (d, *J* = 11.2 Hz, 1H), 2.78 (m, 1H), 2.38 (m, 1H), 2.15 (m, 1H), 1.80 (m, 1H), 1.63 (m, 1H), 1.44 (m, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 144.7, 143.2, 137.1, 131.3 (dq, $J_{C\text{-}F}$ = 84.3 Hz, $J_{C\text{-}F}$ = 33.3 Hz), 129.3, 129.0, 128.6, 128.0, 127.3, 123.2 (dq, $J_{C\text{-}F}$ = 271.1 Hz, $J_{C\text{-}F}$ = 20.6 Hz), 122.5, 122.1, , 85.6, 66.3, 52.4, 33.1, 31.5, 30.2; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{28}H_{21}F_{12}OS$ [$(M+H)^+$] 633.1122, found [$(M+H)^+$] 633.1116.

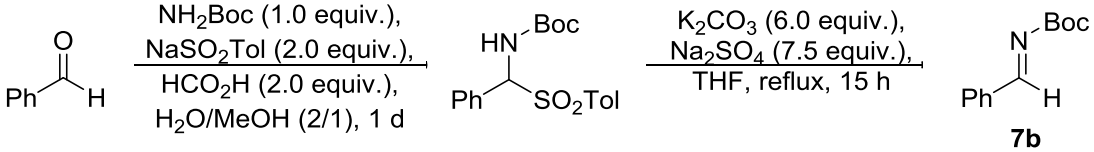
General procedure for synthesis of Imines

(*E*)-*N*-Benzylidene-4-methylbenzenesulfonamide (7a)[3]



To a solution of *p*-toluenesulfonamide (744 mg, 4.7 mmol), activated 4Å MS (1 g) and catalytic amount of Amberlyst® 15 (20 mg) in dry toluene was added benzaldehyde (500 mg, 4.7 mmol) and heated at 130 ℃ in a sealed tube for 12 h. The reaction mixture was filtered through Celite® and concentrated in *vacuo*. The residue was recrystallized by EtOAc to afford the pure product as a colorless solid (1.0 g, 86%). R_f (30% EtOAc/hexane) 0.35; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.03 (s, 1H), 7.94 – 7.88 (m, 4H), 7.62 (t, *J* = 7.6 Hz, 1H), 7.49 (t, *J* = 8 Hz, 2H), 7.35 (t, *J* = 5 Hz, 2H), 2.44 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 170.1, 144.6, 134.9, 132.5, 131.3, 129.8, 129.1, 128.1, 21.6

(*E*)-*tert*-Butyl benzylidenecarbamate (7b)[3]



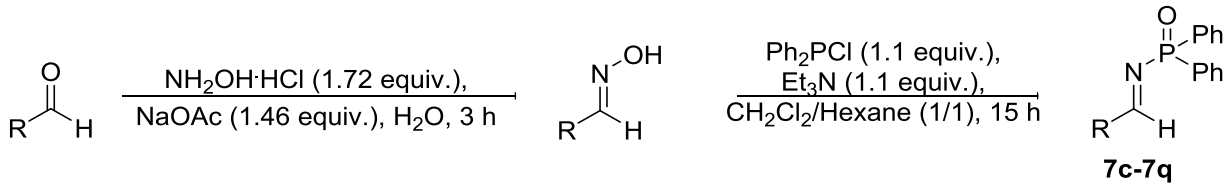
7b

At room temperature, to a mixture of *tert*-butyl carbamate (1.1 g, 10 mmol)、formic acid (0.66 mL, 20 mmol) and the sodium salt of 4-toluenensulfinic acid (3.5 g, 20 mmol) in H_2O/MeOH (2/1, 28 mL) was added neat

benzaldehyde (1.5 mL, 15 mmol). The result white slurry was allowed to stir for 1 d. The thus-formed white solid was filtered over a sintered glass funnel, washed with Et_2O (25 mL × 3), and dried under vacuum, affording the analytically pure intermediate as a white solid (1.9 g, 55 %).

Under inert atmosphere, a flame-dried round-bottom flask was charged with that intermediate (180 mg, 0.5 mmol), anhydrous K_2CO_3 (414 mg, 3.0 mmol), and Na_2SO_4 (531 mg, 3.75 mmol) in THF (0.1 M, 5 mL) and heated at reflux for 15 h. The reaction mixture was then allowed to cool to room temperature, followed by filtration through a pad of Celite®. Evaporation of the collected organic solvent under reduced pressure afforded the analytically pure product (40 mg, 95 %). R_f (5% EtOAc/hexane) 0.23; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.87 (s, 1H), 7.93 – 7.90 (m, 2H), 7.56 (m, 1H), 7.49 – 7.45 (m, 2H), 1.59 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.6, 162.6, 134.1, 133.5, 130.2, 128.9, 82.3, 27.9

(*E*)-*N*-Arylmethylene-*P*,*P*-diphenylphosphinic amide 7c – 7q:

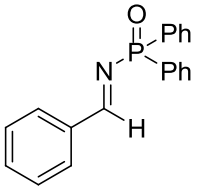


7c-7q

To a solution of $NH_2OH{\cdot}HCl$ (1.1 g, 16.2 mmol) and NaOAc (1.1 g, 13.76 mmol) in H_2O (12.1 mL) was added benzaldehyde (0.96 mL, 9.4 mmol) and stirred for 3 h. After completion of the reaction, extracted with Et_2O (10 mL × 3), washed with brine, dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by flash column chromatography (EtOAc/hexane, 1:19) to give the pure oxime.

To a solution of oxime (0.1 mL, 1.0 mmol) in CH_2Cl_2/hexane (1:1, 5 mL) triethylamine (0.20 mL, 1.1 mmol) was added at -40 ^oC and stirred for 10 min, diphenylphosphinic chloride (0.15 mL, 1.1 mmol) was slowly added to the reaction at same temperature. The reaction mixture was gradually allowed to warm to room temperature and stirred overnight, evaporated under reduced pressure. The residue was purified by flash column chromatography (EtOAc/hexane, 1:49) to give the pure product.

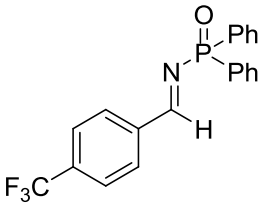
(*E*)-*N*-Benzylidene-*P*,*P*-diphenylphosphinic amide (7c)[3]



White solid; Yield - 50%; R_f (50% EtOAc/hexane) 0.3; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.32 (d, J = 32.1 Hz, 1H), 8.03 – 7.89 (m, 6H), 7.55 – 7.40 (m,

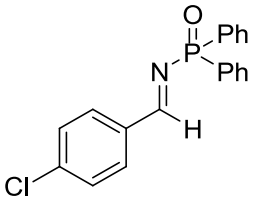
9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 173.6 (d, J_{C-P} = 7.6 Hz), 135.7 (d, J_{C-P} = 24.7 Hz), 133.50, 132.8 (d, J_{C-P} = 126.7 Hz), 131.7 (d, J_{C-P} = 1.9 Hz), 131.4 (d, J_{C-P} = 9.1 Hz), 130.0, 128.8, 128.3 (d, J_{C-P} = 12.5 Hz).

(*E*)-*N*-(4-(Trifluoromethyl)benzylidene)-*P*,*P*-diphenylphosphinic amide (7d)[4]



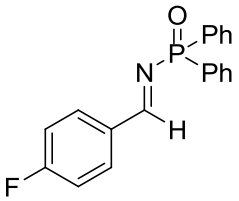
White solid; Yield - 72%; R_f (50% EtOAc/hexane) 0.45; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.38 (d, *J* = 31.5 Hz, 1H), 8.13 (d, *J* = 8.2 Hz, 2H), 7.99 – 7.90 (m, 4H), 7.77 (d, *J* = 8.2 Hz, 2H), 7.56 – 7.43 (m, 6H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 172.2 (d, J_{C-P} = 7.5 Hz), 138.6 (d, J_{C-P} = 24.7Hz), 134.8 (q, J_{C-F} = 32.6 Hz), 133.0, 132.1 (d, J_{C-P} = 2.1Hz), 131.7, 131.6 (d, J_{C-P} = 9.2 Hz), 130.3, 128.6 (d, J_{C-P} = 12.6 Hz), 126.0 (d, J_{C-P} = 3.4 Hz), 123.6 (q, J_{C-F} = 270 Hz).

(*E*)-*N*-(4-Chlorobenzylidene)-*P*,*P*-diphenylphosphinic amide (7e)[4]



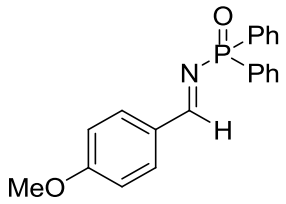
White solid; Yield - 58%; R_f (50% EtOAc/hexane) 0.33; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.28 (d, *J* = 31.7 Hz, 1H), 7.96 – 7.90 (m, 6H), 7.53 – 7.43 (m, 8H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 172.3 (d, J_{C-P} = 7.3 Hz), 140.0, 134.3 (d, J_{C-P} = 25.2 Hz), 132.8 (d, J_{C-P} = 151.6 Hz), 132.1, 131.9, 131.6 (d, J_{C-P} = 9.07 Hz), 131.3, 129.4, 128.5 (d, J_{C-P} = 12.6 Hz).

(*E*)-*N*-(4-Fluorobenzylidene)-*P*,*P*-diphenylphosphinic amide (7f)[5]



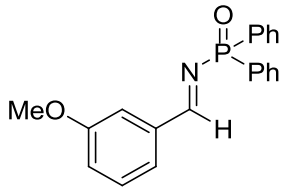
White solid; Yield - 78%; R_f (50% EtOAc/hexane) 0.41; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.28 (d, *J* = 31.8 Hz, 1H), 8.07 – 7.99 (m, 2H), 7.98 – 7.88 (m, 4H), 7.54 – 7.41 (m, 6H), 7.23 – 7.15 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 172.1 (d, J_{C-P} = 7.4 Hz), 166.1 (d, J_{C-F} = 254.3 Hz), 133.5, 132.5 (d, J_{C-P} = 9.4 Hz), 132.2, 131.8 (d, J_{C-F} = 2.1 Hz), 131.6 (d, J_{C-P} = 9.2 Hz), 128.5 (d, J_{C-P} = 12.5 Hz), 116.3 (d, J_{C-P} = 22 Hz).

(*E*)-*N*-(4-Methoxybenzylidene)-*P*,*P*-diphenylphosphinic amide (7g)[6]



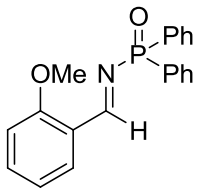
White solid; Yield - 55%; R_f (50% EtOAc/hexane) 0.2; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.23 (d, J = 32.1 Hz, 1H), 8.02 – 7.88 (m, 6H), 7.55 – 7.38 (m, 6H), 6.99 (d, J = 8.7 Hz, 2H), 3.87 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 172.6 (d, $J_{C\text{-}P}$ = 7.4 Hz), 164.1, 133.4 (d, $J_{C\text{-}P}$ = 127.2 Hz), 132.3, 131.6, 131.5, 129.1 (d, $J_{C\text{-}P}$ = 25.4 Hz), 128.4 (d, $J_{C\text{-}P}$ = 12.5 Hz), 114.3 , 55.5.

(*E*)-*N*-(3-Methoxybenzylidene)-*P*,*P*-diphenylphosphinic amide (7h)[4]



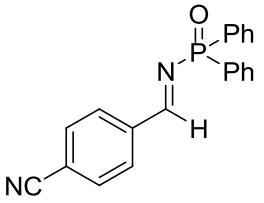
Colourless oil; Yield - 64%; R_f (50% EtOAc/hexane) 0.3; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.28 (d, J = 31.9 Hz, 1H), 7.96 – 7.91 (m, 4H), 7.58 – 7.40 (m, 9H) , 7.13 (dd, J = 8.2, 2.6 Hz, 1H), 3.89 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 173.7 (d, $J_{C\text{-}P}$ = 7.7 Hz), 160.0, 137.1, 132.9 (d, $J_{C\text{-}P}$ =128.1 Hz), 131.8 (d, $J_{C\text{-}P}$ =2.9 Hz), 131.6 (d, $J_{C\text{-}P}$ = 9.4 Hz), 130.0, 128.6 (d, $J_{C\text{-}P}$ =12.5 Hz), 123. 7, 120.0, 113.6, 55.5.

(*E*)-*N*-(2-Methoxybenzylidene)-*P*,*P*-diphenylphosphinic amide (7i)[7]



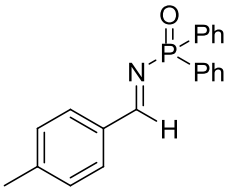
White solid; Yield - 61%; R_f (50% EtOAc/hexane) 0.48; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.80 (d, J = 32.6 Hz, 1H), 8.23 (dd, J = 7.8, 1.8 Hz, 1H), 7.94 (m, 1.5 Hz, 4H), 7.55 – 7.40 (m, 7H), 7.04 (t, J = 7.5 Hz, 1H), 6.96 (d, J = 8.4 Hz, 1H), 3.88 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 173. 7 (d, $J_{C\text{-}P}$ = 7 Hz), 160.0, 137.2 (d, $J_{C\text{-}P}$ = 25 Hz), 132.9 (d, $J_{C\text{-}P}$ = 126 Hz), 131.8 (d, $J_{C\text{-}P}$ = 3 Hz), 131.6 (d, $J_{C\text{-}P}$ = 10 Hz), 130.0, 128.5 (d, $J_{C\text{-}P}$ = 13 Hz), 123.7, 120.0, 113.6, 55.5.

(*E*)-*N*-(4-Cyanobenzylidene)-*P*,*P*-diphenylphosphinic amide (7j)[8]



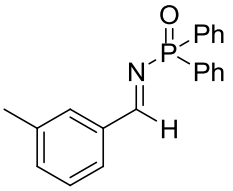
Pale yellow solid; Yield - 69%; R_f (50% EtOAc/hexane) 0.31; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.35 (d, J = 31.2 Hz, 1H), 8.09 (d, J = 8.2 Hz, 2H), 7.99 – 7.86 (m, 4H), 7.79 (d, J = 8.2 Hz, 2H), 7.56 – 7.40 (m, 6H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 171.6 (d, $J_{C\text{-}P}$ = 8 Hz), 140.0 (d, $J_{C\text{-}P}$ = 25 Hz), 132.6, 132.1, 132.1, 131.5 (d, $J_{C\text{-}P}$ = 9 Hz), 130.3, 128.6 (d, $J_{C\text{-}P}$ = 13 Hz), 117.9, 116.5.

(*E*)-*N*-(4-Methylbenzylidene)-*P*,*P*-diphenylphosphinic amide (7k)[4]



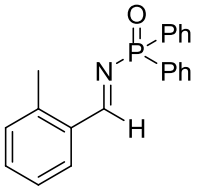
White solid; Yield - 62%; R_f (50% EtOAc/hexane) 0.38; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.28 (d, J = 32.1 Hz, 1H), 8.00 – 7.85 (m, 6H), 7.57 – 7.38 (m, 6H), 7.29 (d, J = 7.9 Hz, 2H), 2.42 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 173.5 (d, $J_{C\text{-}P}$ = 7.6 Hz), 144.6, 133.4 (d, $J_{C\text{-}P}$ = 24.9 Hz), 133.2 (d, $J_{C\text{-}P}$ = 126.4 Hz), 131.6, 131.5 (d, $J_{C\text{-}P}$ = 9.1 Hz), 130.2, 129.6, 128.4 (d, $J_{C\text{-}P}$ = 12.4 Hz), 21.8.

(*E*)-*N*-(3-Methylbenzylidene)-*P*,*P*-diphenylphosphinic amide (7l)[7]



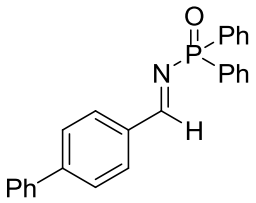
White solid; Yield - 70%; R_f (50% EtOAc/hexane) 0.3; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.29 (d, J = 32.4 Hz, 1H), 7.96-7.91 (m, 4H), 7.83 (s, 1H), 7.79 (t, J = 4.4 Hz, 1H), 7.52 – 7.42 (m, 6H), 7.39 (d, J = 5.2 Hz, 2H), 2.44 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 174.0 (d, $J_{C\text{-}P}$ = 7.5 Hz), 138.8, 136.0 (d, $J_{C\text{-}P}$ = 24.7 Hz), 134.5, 133.1 (d, $J_{C\text{-}P}$ = 106.7 Hz), 131.7, 131.6 (d, $J_{C\text{-}P}$ = 9.2 Hz), 130.3, 128.8, 128.4 (d, $J_{C\text{-}P}$ = 12.5 Hz), 127.8, 21.3.

(*E*)-*N*-(2-Methylbenzylidene)-*P*,*P*-diphenylphosphinic amide (7m)[7]



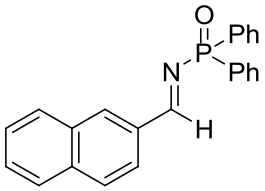
White solid; Yield - 60%; R_f (50% EtOAc/hexane) 0.35; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.62 (d, *J* = 32.6 Hz, 1H), 8.14 (d, *J* = 7.7 Hz, 1H), 8.02 – 7.90 (m, 4H), 7.53 – 7.41 (m, 7H), 7.36 – 7.21 (m, 2H), 2.68 (s, 3H) ; **^{13}C NMR** (100 MHz, $CDCl_3$) δ 172.5 (d, $J_{C\text{-}P}$ = 7.6 Hz), 141.0, 133.7 (d, $J_{C\text{-}P}$ = 27.8 Hz), 132.9 (d, $J_{C\text{-}P}$ = 59.0 Hz), 131.6 (d, $J_{C\text{-}P}$ = 9.3 Hz), 131.5, 129.8, 128.5 (d, $J_{C\text{-}P}$ = 12.5 Hz), 126.4, 19.7.

(*E*)-*N*-([1,1'-Biphenyl]-4-ylmethylene)-*P*,*P*-diphenylphosphinic amide (7n)[9]



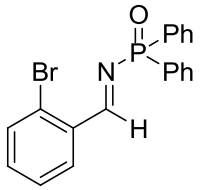
white solid; Yield - 82%; R_f (50% EtOAc/hexane) 0.4; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.37 (d, *J* = 32.0 Hz, 1H), 8.09 (d, *J* = 8.3 Hz, 2H), 7.97 (m, 1.4 Hz, 4H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.68 – 7.61 (m, 2H), 7.55 – 7.36 (m, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 173.2 (d, $J_{C\text{-}P}$ = 7.6 Hz), 146.4, 139.9, 134.7 (d, $J_{C\text{-}P}$ = 25 Hz), 133.0 (d, $J_{C\text{-}P}$ = 126.5 Hz), 131.8 (d, $J_{C\text{-}P}$ = 2 Hz), 131.6 (d, $J_{C\text{-}P}$ = 9 Hz), 130.7, 129.0, 128.5 (d, $J_{C\text{-}P}$ = 20 Hz), 128.3, 127.6, 127.3.

(*E*)-*N*-(Naphthalen-2-ylmethylene)-*P*,*P*-diphenylphosphinic amide (7o)[7]



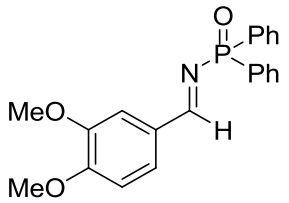
White solid; Yield - 83%; R_f (50% EtOAc/hexane) 0.4; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.47 (d, *J* = 31.9 Hz, 1H), 8.34 (s, 1H), 8.22 (dd, *J* = 8.6, 1.5 Hz, 1H), 8.03 – 7.86 (m, 7H), 7.65 – 7.54 (m, 2H), 7.54 – 7.43 (m, 6H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 173.7 (d, $J_{C\text{-}P}$ = 7.6 Hz), 136.1, 134.4, 133.7, 132.9, 132.4, 131.8, 131.6 (d, $J_{C\text{-}P}$ = 10 Hz), 129.4, 128.9, 128.7, 128.5 (d, $J_{C\text{-}P}$ = 12.5 Hz), 128.0, 126.9, 123.8.

(*E*)-*N*-(2-Bromobenzylidene)-*P*,*P*-diphenylphosphinic amide (7p)[4]



Colorless oil; Yield - 78%; R_f (50% EtOAc/hexane) 0.45; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.65 (d, *J* = 31.2 Hz, 1H), 8.29 (dd, *J* = 7.4, 2.2 Hz, 1H), 8.01 – 7.88 (m, 4H), 7.63 (m, 1H), 7.55 – 7.35 (m, 8H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 172.7 (d, $J_{C\text{-}P}$ = 6.3 Hz), 134.6 (d, $J_{C\text{-}P}$ = 25 Hz), 134.1 (d, $J_{C\text{-}P}$ = 74 Hz), 133.3, 132.0, 131.9 (d, $J_{C\text{-}P}$ = 2 Hz), 131.6 (d, $J_{C\text{-}P}$ = 9 Hz), 129.8, 128.5 (d, $J_{C\text{-}P}$ = 13 Hz), 128.0, 127.6.

(*E*)-*N*-(3,4-Dimethoxybenzylidene)-*P*,*P*-diphenylphosphinic amide (7q)[6]

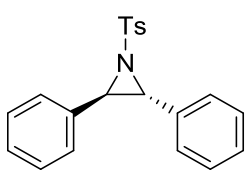


White solid; Yield - 58%; R_f (50% EtOAc/hexane) 0.13; Prepared as shown in general experimental procedure. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.18 (d, *J* = 32.0 Hz, 1H), 7.93 -7.88 (m, 4H), 7.61 (s, 2H), 7.49-7.43 (m, 6H), 6.92 (d, *J* = 8.1 Hz, 1H), 3.95 (s, 3H), 3.92 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 172.9 (d, $J_{C\text{-}P}$ = 7.1 Hz) , 154.0, 149.5, 133.1 (d, $J_{C\text{-}P}$ = 127.5 Hz), 131.6, 131.5, 131.4, 129.1 (d, $J_{C\text{-}P}$ = 25.3 Hz), 128.4 (d, $J_{C\text{-}P}$ = 12.4 Hz), 126.8, 110.2 (d, $J_{C\text{-}P}$ = 62.7 Hz), 56.0, 56.0.

General procedure for Synthesis of Aziridine:

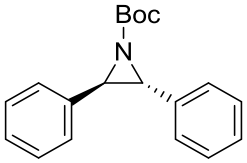
To a flame-dried schlenk tube containing K_2CO_3 (67.9 mg, 0.49 mmol), *O*-benzyl(Thiolan-2-yl)diphenylmethyl ether (11.2 mg, 0.03 mmol), NaI (13 mg, 0.08 mmol), imine (50 mg, 0.16 mmol) and 0.5 ml of CH_3CN were added. To that, finally benzyl bromide (38.9 µL, 0.33 mmol) was added and stirred, the reaction was monitored by TLC. After completion, the reaction mixture was diluted with EtOAc and filtered through Celite®, filtrate was evaporated in *vacuo* to yield the crude product. The crude product was further purified by EtOAc/hexane mixture over neutral alumina to afford the pure corresponding product.

(2*R*,3*R*)-2,3-Diphenyl-1-tosylaziridine (8a)[10]



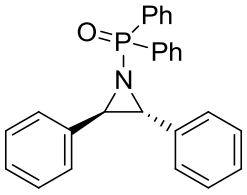
White solid; Yield - 78%; R_f (20% EtOAc/hexane) 0.5; Prepared as shown in general experimental procedure. $[\alpha]^{27}_D$ = +23.8 (*c* 0.92, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 (d, *J* = 8.4 Hz, 2H), 7.43 – 7.34 (m, 10H), 7.21 – 7.19 (m, 2H), 4.27 (s, 2H), 2.39 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.9, 137.1, 133.0, 129.4, 128.7, 128.5, 127.5, 128.3, 50.4, 21.6; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1); retention time: 17.4 min (enantiomer) and 20.7 min (major).

tert-butyl (2*R*,3*R*)-2,3-Diphenylaziridine-1-carboxylate (8b)[11]



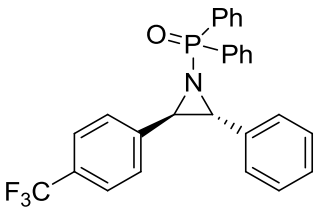
White solid; Yield - 63%; R_f (5% EtOAc/hexane) 0.3; Prepared as shown in general experimental procedure. $[\alpha]^{27}_D$ = +121 (*c* 1.0, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.32 – 7.30 (m, 10H), 3.78 (s, 2H), 1.20 (s, 9H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 159.4, 135.6, 128.5, 128.1, 127.1, 81.4, 47.6, 27.7; enantioselectivity was determined by HPLC analysis (Chiralpak-AD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1); retention time: 8.1 min (major) and 8.8 min (enantiomer).

((2*R*,3*R*)-2,3-Diphenylaziridin-1-yl)diphenylphosphine oxide (8c)[12]



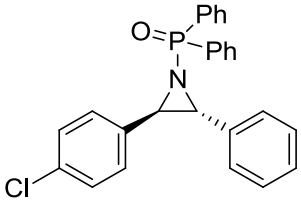
White solid; Yield - 85%; R_f (50% EtOAc/hexane) 0.59; Prepared as shown in general experimental procedure. $[\alpha]^{27}_D$ = -17.3 (*c* 0.82, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.85 (m, 2H), 7.64 – 7.55 (m, 2H), 7.40 – 7.19 (m, 16H), 4.09 (d, *J* = 12 Hz, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 135.6 (d, $J_{C\text{-}P}$ = 5 Hz), 131.7 (d, $J_{C\text{-}P}$ = 9 Hz) , 131.3 (d, $J_{C\text{-}P}$ = 4 Hz), 128.3, 128.2, 128.1 128.0, 127.8, 47.2 (d, $J_{C\text{-}P}$ = 6 Hz); enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1); retention time: 20.0 min (major) and 23.4 min (enantiomer).

((2*R*,3*R*)-2-Phenyl-3-(4-(trifluoromethyl)phenyl)aziridin-1-yl)diphenylphosphine oxide (8d)



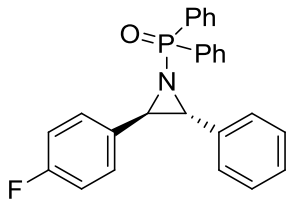
White solid; Yield - 82%; R_f (50% EtOAc/hexane) 0.63; Prepared as shown in general experimental procedure. Mp 124-126 °C; $[\alpha]^{27}_D$ = -11.3 (*c* 1.0, $CHCl_3$); **IR** (neat): 3057, 1494, 1437, 1203, 1123, 1014, 956, 727, 708, 555 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 – 7.83 (m, 2H), 7.67 – 7.57 (m, 2H), 7.50 (q, *J* = 8.6 Hz, 4H), 7.45 – 7.32 (m, 6H), 7.32 – 7.23 (m, 5H), 4.15 (q, *J* = 3.2 Hz, 1H), 4.11 (q, *J* = 3.2 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 139.7 (d, $J_{C\text{-}P}$ = 1.9 Hz), 135.0 (d, $J_{C\text{-}P}$ = 5.0 Hz), 134.0 (d, $J_{C\text{-}P}$ = 133.3 Hz), 132.5 (d, $J_{C\text{-}P}$ = 124 Hz), 131.6, 131.5, 131.4, 131.3, 130.1 (q, $J_{C\text{-}F}$ = 32.3 Hz), 128.3, 128.2, 128.1, 128.0, 127.7, 124.0 (d, $J_{C\text{-}F}$ = 270.5 Hz), 125.1 (d, $J_{C\text{-}P}$ = 3.4 Hz), 122.6, 47.4 (d, $J_{C\text{-}P}$ = 6.7 Hz), 46.4 (d, $J_{C\text{-}P}$ = 6.6 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.24; **EI-MS** *(m/z)*: Calcd for $C_{27}H_{22}F_3NOP$ $[(M + H)^+]$ 464.1391, found $[(M + H)^+]$ 464.1405; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1); retention time: 15.9 min (major) and 20.8 min (enantiomer).

((2*R*,3*R*)-2-(4-Chlorophenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8e)



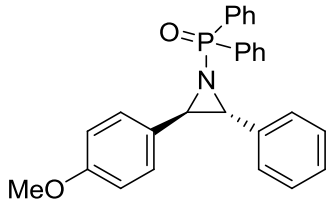
White solid; Yield - 84%; R_f (50% EtOAc/hexane) 0.6; Prepared as shown in general experimental procedure. Mp 137-140 °C; $[\alpha]^{27}_D$ = -36.7 (*c* 1.0, $CHCl_3$); **IR** (neat): 3060, 1619, 1438, 1325, 1166, 1124, 729, 697, 558 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89-7.83 (m, 2H), 7.65-7.60 (m, 2H), 7.44 – 7.19 (m, 15H), 4.10 (dd, *J* = 14.3, 3.2 Hz, 1H), 4.03 (dd, *J* = 14.0, 3.2 Hz, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 135.4 (d, $J_{C\text{-}P}$ = 4.7 Hz), 134.1 (d, $J_{C\text{-}P}$ = 133.1 Hz), 134.0 (d, $J_{C\text{-}P}$ = 5.5 Hz), 132.7 (d, $J_{C\text{-}P}$ = 124.2 Hz), 131.6, 131.4 (d, $J_{C\text{-}P}$ = 13 Hz), 131.3, 129.6, 129.2, 128.3, 128.2, 128.2, 128.1, 128.0, 128.0, 127.6, 46.8, 46.8; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.24; **EI-MS** *(m/z)*: Calcd for $C_{26}H_{22}ClNOP$ $[(M + H)^+]$ 430.1128, found $[(M + H)^+]$ 430.1122; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1); retention time: 19.1 min (major) and 24.7 min (enantiomer).

((2*R*,3*R*)-2-(4-Fluorophenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8f)



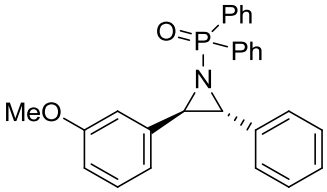
White solid; Yield - 85%; R_f (50% EtOAc/hexane) 0.58; Prepared as shown in general experimental procedure. Mp 139-141 °C; $[\alpha]^{27}_D$ = -24.7 (*c* 1.0, $CHCl_3$)); **IR** (neat):3058, 1604, 1512, 1205, 1123, 957, 726, 694, 526 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.92 – 7.81 (m, 2H), 7.63 (m, 2H), 7.48 – 7.22 (m, 13H), 6.98 – 6.89 (m, 2H), 4.15 (dd, *J* = 14.5, 3.3 Hz, 1H), 4.01 (dd, *J* = 14.0, 3.3 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.5 (d, $J_{C\text{-}F}$ = 245.2 Hz), 135.6 (d, $J_{C\text{-}P}$ = 4.8 Hz), 134.2 (d, $J_{C\text{-}P}$ = 133.2 Hz), 132.8 (d, $J_{C\text{-}P}$ = 124.2 Hz), 131.5 (d, $J_{C\text{-}P}$ = 31.9 Hz), 131.5 (d, $J_{C\text{-}P}$ = 13.2 Hz), 131.0, 129.7 (d, $J_{C\text{-}P}$ = 8.2 Hz), 128.3, 128.3, 128.2, 128.1, 128.0 (d, $J_{C\text{-}P}$ = 2.8 Hz), 127.5, 115.20, 115.0, 47.2 (d, $J_{C\text{-}P}$ = 7 Hz), 46.3 (d, $J_{C\text{-}P}$ = 6.4 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.20; **EI-HRMS** *(m/z)*: Calcd for $C_{26}H_{21}FNOP$ [$(M)^+$] 413.1345, found [$(M)^+$] 413.1353; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1); retention time: 8.1 min (major) and 10.1 min (enantiomer).

((2*R*,3*R*)-2-(4-Methoxyphenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8g)



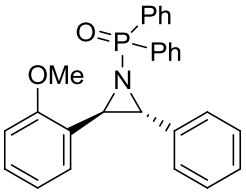
Colorless oil; Yield - 86%; R_f (50% EtOAc/hexane) 0.55; Prepared as shown in general experimental procedure. $[\alpha]^{28}_D$ = -210.0 (*c* 0.4, $CHCl_3$); **IR** (neat): 3057, 2995, 2926, 1612, 1514, 1438, 1248, 1170, 1125, 742, 558 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.90 – 7.81 (m, 2H), 7.67 – 7.58 (m, 2H), 7.43 – 7.22 (m, 13H), 6.81 – 6.75 (m, 2H), 4.17 (dd, *J* = 14.6, 3.3 Hz, 1H), 3.99 (dd, *J* = 14.1, 3.3 Hz, 1H), 3.79 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 159.4, 136.1 (d, $J_{C\text{-}P}$ = 4.5 Hz), 134.5 (d, $J_{C\text{-}P}$ = 133.1 Hz), 133.1 (d, $J_{C\text{-}P}$ = 124.4 Hz), 131.7 (d, $J_{C\text{-}P}$ = 9.1 Hz), 131.5, 131.4, 131.3, 129.3, 128.3, 128.2, 128.0, 127.9 (d, $J_{C\text{-}P}$ = 9.3 Hz), 127.5, 127.1 (d, $J_{C\text{-}P}$ = 5.1 Hz), 113.6, 55.2, 47.9 (d, $J_{C\text{-}P}$ = 6.9 Hz), 46.0 (d, $J_{C\text{-}P}$ = 6.2 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.24; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{27}H_{25}NO_2P$ [$(M + H)^+$] 426.1623, found [$(M + H)^+$] 426.1628; enantioselectivity was determined by HPLC analysis (Chiralcel-OD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 9/1); retention time: 7.9 min (major) and 9.7 min (enantiomer).

((2*R*,3*R*)-2-(3-Methoxyphenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8h)



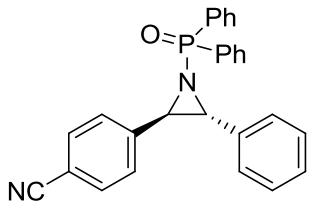
White solid; Yield - 93%; R_f (50% EtOAc/hexane) 0.55; Prepared as shown in general experimental procedure. Mp 156-158 °C; $[\alpha]^{28}_D$ = -2.3 (*c* 0.7, $CHCl_3$); **IR** (neat): 3057, 3005, 2833, 1602, 1438, 1206, 1123, 958, 727, 548 cm^{-1}; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.89 – 7.73 (m, 2H), 7.61 (m, 2H), 7.37 – 7.21 (m, 11H), 7.14 (t, *J* = 7.9 Hz, 1H), 6.94 – 6.76 (m, 2H), 6.75 (dd, *J* = 8.2, 1.6 Hz, 1H), 4.08 (dd, *J* = 14.2, 3.1 Hz, 1H), 4.02 (dd, *J* = 14.1, 3.1 Hz, 1H), 3.72 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 159.6, 137.4 (d, $J_{C\text{-}P}$ = 4.7 Hz), 135.4 (d, $J_{C\text{-}P}$ = 4.7 Hz), 134.5 (d, $J_{C\text{-}P}$ = 133.5 Hz), 133.0 (d, $J_{C\text{-}P}$ = 124.4 Hz), 131.7 (d, $J_{C\text{-}P}$ = 9.1 Hz), 131.5, 131.4, 131.3, 129.3, 128.3, 128.2, 128.0, 128.0, 127.9, 120.1, 114.1, 112.8, 55.2, 47.6 (d, $J_{C\text{-}P}$ = 6.9 Hz), 47.0 (d, $J_{C\text{-}P}$ = 6.6 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.12; **HREI-MS** *(m/z)*: Calcd for $C_{27}H_{24}NO_2P$ $[(M)^+]$ 425.1545, found $[(M)^+]$ 425.1554; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 254 nm, hexane/*i*-PrOH, 49:1); retention time: 24.0 min (major) and 26.6 min (enantiomer).

((2*R*,3*R*)-2-(2-Methoxyphenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8i)



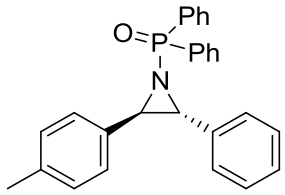
Colorless oil; Yield - 88%; R_f (50% EtOAc/hexane) 0.43; Prepared as shown in general experimental procedure. $[\alpha]^{28}_D$ = +9.9 (*c* 0.1, $CHCl_3$); **IR** (neat): 3057, 2953, 2837, 1603, 1588, 1463, 1438, 1248, 1200, 1124, 1027, 9924, 753, 727, 697, 565 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.91 – 7.91 (m, 2H), 7.71 – 7.66 (m, 2H), 7.46 – 7.21 (m, 13H), 6.94 (m, 1H), 6.66 (m, 1H), 4.32 (dd, *J* = 14.4, 3.2 Hz, 1H), 4.20 (dd, *J* = 14.8, 3.2 Hz, 1H), 3.60 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 158.9, 136.4 (d, $J_{C\text{-}P}$ = 4.8 Hz), 134.7 (d, $J_{C\text{-}P}$ = 131.9 Hz), 133.2 (d, $J_{C\text{-}P}$ = 125.1 Hz), 131.6 (d, $J_{C\text{-}P}$ = 8.9 Hz),131.3, 131.0, 129.2, 128.2, 128.1, 128.0, 127.8, 127.7, 127.6, 123.6, 123.5, 120.1, 109.7, 54.7, 44.6 (d, $J_{C\text{-}P}$ = 5.8 Hz), 44.7 (d, $J_{C\text{-}P}$ = 6.9 Hz),; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.26; **HREI-MS** *(m/z)*: Calcd for $C_{27}H_{24}NO_2P$ $[(M)^+]$ 425.1545, found $[(M)^+]$ 425.1543; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 280 nm, hexane/*i*-PrOH, 9:1); retention time: 6.0 min (major) and 7.3 min (enantiomer).

(4-((2*R*,3*R*)-1-(Diphenylphosphoryl)-3-phenylaziridin-2-yl)benzonitrile (8j)[13]



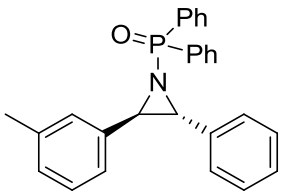
White solid; Yield - 73%; R_f (50% EtOAc/hexane) 0.35; Prepared as shown in general experimental procedure. Mp 184-186 ℃; $[\alpha]^{28}_D$ = -10.7 (*c* 1.0, $CHCl_3$)); **IR** (neat): 3058, 2227, 1609, 1438, 1205, 1123, 953, 727, 694, 552 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.88 – 7.80 (m, 2H), 7.66 – 7.63 (m, 2H), 7.58 – 7.23 (m, 15H), 4.12 (q, *J* = 3.2 Hz, 1H), 4.08 (q, *J* = 3.2 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 141.0 (d, $J_{C\text{-}P}$ = 5.3 Hz), 134.8 (d, $J_{C\text{-}P}$ = 4.8 Hz), 133.8 (d, $J_{C\text{-}P}$ = 133 Hz), 132.3 (d, $J_{C\text{-}P}$ = 123 Hz), 132.0, 131.7, 131.6 (d, $J_{C\text{-}P}$ = 2.8 Hz), 131.5 (d, $J_{C\text{-}P}$ = 9.4 Hz), 131.3 (d, $J_{C\text{-}P}$ = 9.4 Hz), 128.5, 128.3 (d, $J_{C\text{-}P}$ = 21.8 Hz), 128.4, 128.3, 128.1, 127.6, 118.6, 111.7, 47.5 (d, $J_{C\text{-}P}$ = 6.5 Hz), 46.5 (d, $J_{C\text{-}P}$ = 6.6 Hz); **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.35; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{27}H_{22}N_2OP$ [(M + H)$^+$] 421.1470, found [(M + H)$^+$] 421.1463; enantioselectivity was determined by HPLC analysis (Chiralpak-AS, 0.7 mL/min, 254 nm, hexane/*i*-PrOH, 19:1); retention time: 32.6 min (major) and 38.7 min (enantiomer).

((2*R*,3*R*)-2-Phenyl-3-(p-tolyl)aziridin-1-yl)diphenylphosphine oxide(8k)



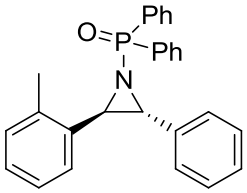
White solid; Yield - 85%; R_f (50% EtOAc/hexane) 0.63; Prepared as shown in general experimental procedure. Mp 152-154 ℃; $[\alpha]^{27}_D$ = -24.3 (*c* 1.0, $CHCl_3$); **IR** (neat): 3056, 2917, 1517, 1437, 1261, 1069, 725, 695, 572 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89 – 7.84 (m, 2H), 7.66 – 7.61 (m, 2H), 7.41 – 7.24 (m, 13H), 7.07 (d, *J* = 7.9 Hz, 2H), 4.09 (dt, *J* = 12.9, 3.3 Hz, 2H), 2.32 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 137.7, 135.8 (d, $J_{C\text{-}P}$ = 4.3 Hz), 135.1, 133.8 (d, $J_{C\text{-}P}$ = 10.9 Hz), 132.4, 131.7 (d, $J_{C\text{-}P}$ = 9.2 Hz), 131.5, 131.4, 131.3 (d, $J_{C\text{-}P}$ = 1.5 Hz), 128.9, 128.3, 128.2, 128.2, 128.1, 127.9 (d, $J_{C\text{-}P}$ = 1.9 Hz), 127.7 (d, $J_{C\text{-}P}$ = 3.5 Hz), 47.3 (d, $J_{C\text{-}P}$ = 6.9 Hz), 46.8 (d, $J_{C\text{-}P}$ = 6.7 Hz), 21.2; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.20; **EI-MS** *(m/z)*: Calcd for $C_{27}H_{25}NOP$ [(M + H)$^+$] 410.1674, found 410.1686;enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1); retention time: 18.1 min (major) and 22.9min (enantiomer).

((2*R*,3*R*)-2-Phenyl-3-(m-tolyl)aziridin-1-yl)diphenylphosphine oxide (8l)



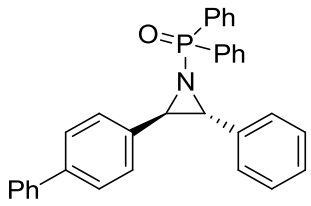
White solid; Yield - 92%; R_f (50% EtOAc/hexane) 0.55; Prepared as shown in general experimental procedure. Mp 152-154 °C; $[\alpha]^{30}_D$ = -9.0 (*c* 0.3, $CHCl_3$); **IR** (neat): 3057, 3009, 2978, 2917, 1605, 1590, 1438, 1206, 955, 779, 712, 552 cm^{-1}; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.93 – 7.84 (m, 2H), 7.67 – 7.59 (m, 2H), 7.42 – 7.25 (m, 11H), 7.18-7.14 (m, 2H), 7.12 (s, 1H), 7.06 (d, *J* = 6.5 Hz, 1H), 4.10 (dq, *J* = 6.5 Hz, 2H), 2.29 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 137.8, 135.7 (d, $J_{C\text{-}P}$ = 5.0 Hz), 135.5 (d, $J_{C\text{-}P}$ = 4.8 Hz), 134.5 (d, $J_{C\text{-}P}$ = 134.1 Hz), 133.0 (d, $J_{C\text{-}P}$ = 125.1 Hz), 131.7 (d, $J_{C\text{-}P}$ = 9.2 Hz), 131.5, 131.4, 131.2, 128.7 (d, $J_{C\text{-}P}$ = 5.9 Hz), 128.3, 128.2, 128.2, 128.1, 128.0, 127.9, 127.8, 124.7, 47.2 (d, $J_{C\text{-}P}$ = 6.6 Hz), 47.0 (d, $J_{C\text{-}P}$ = 6.7 Hz), 21.3; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.02; **EI-MS** *(m/z)*: Calcd for $C_{27}H_{24}NOP$ $[(M)^+]$ 409.1596, found $[(M)^+]$ 409.1595; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH 49/1); retention time: 16.5 min (major) and 19.6 min (enantiomer).

((2*R*,3*R*)-2-Phenyl-3-(o-tolyl)aziridin-1-yl)diphenylphosphine oxide (8m)



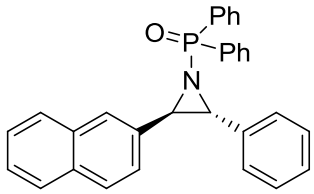
Colorless oil; Yield - 86%; R_f (50% EtOAc/hexane) 0.6; Prepared as shown in general experimental procedure. $[\alpha]^{28}_D$ = -7.4 (*c* 1.0, $CHCl_3$); **IR** (neat): 3057, 1604, 1490, 1456, 1206, 1123, 957, 751, 727, 555 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.97 – 7.88 (m, 2H), 7.65 – 7.57 (m, 2H), 7.45 – 7.33 (m, 7H), 7.31 – 7.22 (m, 5H), 7.21 – 7.15 (m, 2H), 7.04 (m, 1H), 4.29 (dd, *J* = 15.0, 3.5 Hz, 1H), 4.00 (dd, *J* = 14.3, 3.5 Hz, 1H), 2.13 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 137.7, 135.2 (d, $J_{C\text{-}P}$ = 5.5 Hz), 134.3 (d, $J_{C\text{-}P}$ = 132.3 Hz), 134.0 (d, $J_{C\text{-}P}$ = 4.7 Hz), 132.7 (d, $J_{C\text{-}P}$ = 124.4 Hz), 131.7, 131.6 (d, $J_{C\text{-}P}$ = 4.2 Hz), 131.5, 131.3 (d, $J_{C\text{-}P}$ = 1.7 Hz), 129.8, 128.3, 128.2, 128.0, 127.9, 127.9, 127.8, 126.4, 125.8, 46.8 (d, $J_{C\text{-}P}$ = 6.7 Hz), 44.5 (d, $J_{C\text{-}P}$ = 6.4 Hz), 19.1; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.40; **EI-MS** *(m/z)*: Calcd for $C_{27}H_{25}NOP$ $[(M + H)^+]$ 410.1674, found $[(M + H)^+]$ 410.1665; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49:1); retention time: 14.6 min (major) and 18.4 min (enantiomer).

((2*R*,3*R*)-2-([1,1'-Biphenyl]-4-yl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8n)



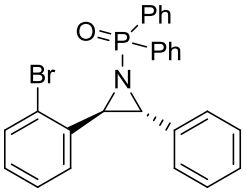
White solid; Yield - 82%; R_f (50% EtOAc/hexane) 0.48; Prepared as shown in general experimental procedure. Mp 110-113 °C; $[\alpha]^{27}_D$ = -17.6 (*c* 1.0, $CHCl_3$); **IR** (neat): 3056, 3030, 1600, 1438, 1205, 1124, 998, 727, 694, 555 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 – 7.88 (m, 2H), 7.67 – 7.57 (m, 4H), 7.51 – 7.25 (m, 18H), 4.18 (dd, *J* = 14.3, 3.3 Hz, 1H), 4.12 (dd, *J* = 14.1, 3.3 Hz, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 140.8, 140.7, 135.7 (d, $J_{C\text{-}P}$ = 4.9 Hz), 134.5 (d, $J_{C\text{-}P}$ = 5.0 Hz), 134.4 (d, $J_{C\text{-}P}$ = 133.2 Hz), 132.9 (d, $J_{C\text{-}P}$ = 124.25 Hz), 131.7, 131.6, 131.5, 131.4, 131.3, 128.8, 128.3, 128.3, 128.0, 128.0, 127.7, 127.3, 127.0, 126.9, 47.3 (d, $J_{C\text{-}P}$ = 6.6 Hz), 47.0 (d, $J_{C\text{-}P}$ = 6.6 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.32; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{32}H_{27}NOP$ [(M + H)$^+$] 472.1830, found [(M + H)$^+$] 472.1826; enantioselectivity was determined by HPLC analysis (Chiralpak-AD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 3/1); retention time: 33.0 min (major) and 60.0 min (enantiomer).

((2*R*,3*R*)-2-(Naphthalen-2-yl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8o)



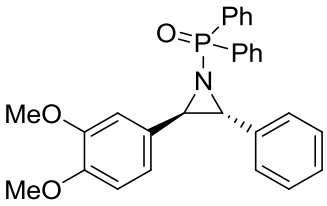
White solid; Yield - 86%; R_f (50% EtOAc/hexane) 0.63; Prepared as shown in general experimental procedure. Mp 169-171 °C; $[\alpha]^{27}_D$ = -22.7 (*c* 1.0, $CHCl_3$); **IR** (neat): 3049, 1438, 1205, 1162, 1124, 964, 728, 695, 559 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.95 – 7.86 (m, 2H), 7.84 – 7.71 (m, 4H), 7.68 – 7.58 (m, 2H), 7.52 (m, 1H), 7.50 – 7.20 (m, 13H), 4.31 (dd, *J* = 14.2, 3.2 Hz, 1H), 4.21 (dd, *J* = 14.1, 3.2 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 135.4 (d, $J_{C\text{-}P}$ = 5.5 Hz), 134.3 (d, $J_{C\text{-}P}$ = 133.2 Hz), 133.1 (d, $J_{C\text{-}P}$ = 5.1 Hz), 133.0, 133.0, 132.8 (d, $J_{C\text{-}P}$ = 124.4 Hz), 131.7, 131.6, 131.5, 131.4, 128.3, 128.2, 128.2, 128.0, 128.0, 127.9, 127.9, 127.6, 127.5, 126.1, 126.0, 124.9, 47.4 (d, $J_{C\text{-}P}$ = 6.8 Hz), 47.2 (d, $J_{C\text{-}P}$ = 6.7 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.18; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{30}H_{25}NOP$ [(M + H)$^+$] 446.1674, found [(M + H)$^+$] 446.1673; enantioselectivity was determined by HPLC analysis (Chiralcel- OD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1); retention time: 14.7 min (major) and 17.2 min (enantiomer).

((2*R*,3*R*)-2-(2-Bromophenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8p)



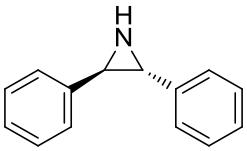
White solid; Yield - 82%; R_f (50% EtOAc/hexane) 0.5; Prepared as shown in general experimental procedure. Mp 94-96 ℃; $[\alpha]^{30}_D$ = +28.2 (*c* 0.3, $CHCl_3$); **IR** (neat): 3057, 1590, 1497, 1252, 1123, 959, 752, 727, 694, 551, 528 cm^{-1}; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.90 – 7.86 (m, 2H), 7.64 – 7.60 (m, 2H), 7.44 (m, 1H), 7.40 – 7.19 (m, 13H), 7.09 (m, 1H), 4.51 (dd, *J* = 15.0, 3.5 Hz, 1H), 3.90 (dd, *J* = 14, 3.5 Hz, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 135.5 (d, $J_{C\text{-}P}$ = 4.9 Hz), 134.6 (d, $J_{C\text{-}P}$ = 5.4 Hz), 134.3 (d, $J_{C\text{-}P}$ = 133 Hz), 132.5 (d, $J_{C\text{-}P}$ = 125 Hz), 131.7, 131.6, 131.6, 131.5, 131.5, 129.3, 128.3, 128.3, 128.2, 128.1, 128.1, 128.0, 127.3, 124.9, 47.8 (d, $J_{C\text{-}P}$ = 6.6 Hz), 45.8 (d, $J_{C\text{-}P}$ = 6.0 Hz) ; **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.61; **HRMS (FAB$^+$, magnetic sector)** *(m/z)*: Calcd for $C_{26}H_{22}NOBrP$ [(M + H)$^+$] 474.0622, found [(M + H)$^+$] 474.0620; enantioselectivity was determined by HPLC analysis (Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1); retention time: 18.0 min (major) and 20.2 min (enantiomer).

((2*R*,3*R*)-2-(3,4-Dimethoxyphenyl)-3-phenylaziridin-1-yl)diphenylphosphine oxide (8q)



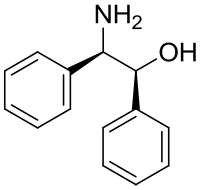
Colorless oil; Yield - 73%; R_f (50% EtOAc/hexane) 0.59; Prepared as shown in general experimental procedure. $[\alpha]^{30}_D$ = +26.9 (*c* 0.5, $CHCl_3$); **IR** (neat): 3058, 2934, 2835, 1591, 1517, 1462, 1201, 1108, 1027, 727, 696, 551 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.90 – 7.86 (m, 2H), 7.67 – 7.63 (m, 2H), 7.42 – 7.24 (m, 11H), 6.92 –6.90 (m, 2H), 6.75 (m, 1H), 4.20 (d, *J* = 4 Hz, 1H), 4.17 (d, *J* = 4 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 148.8 (d, $J_{C\text{-}P}$ = 21.9Hz), 136.2, 134.5 (d, $J_{C\text{-}P}$ = 133.5 Hz), 133.1 (d, $J_{C\text{-}P}$ = 124 Hz), 131.7 (d, $J_{C\text{-}P}$ = 9.1 Hz), 131.4 (d, $J_{C\text{-}P}$ = 8.6 Hz), 131.4, 131.3, 128.3, 128.2, 128.1, 128.0, 127.9, 127.6, 127.4, 120.6, 111.3, 110.8, 55.9, 55.8, 48.7 (d, $J_{C\text{-}P}$ = 7.3 Hz), 45.9 (d, $J_{C\text{-}P}$ = 6.1 Hz); **^{31}P NMR** (162 MHz, $CDCl_3$) δ 28.14; **EI-MS** *(m/z)*: Calcd for $C_{28}H_{26}NO_3P$ [(M)$^+$] 455.1650, found [(M)$^+$] 455.1649; enantioselectivity was determined by HPLC analysis (Chiralcel-OD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1); retention time: 27.5 min (major) and 34.1 min (enantiomer).

(2*R*,3*R*)-2,3-Diphenylaziridine (9)[14]



To a suspension of $LiAlH_4$ (7.7 mg, 0.20 mmol) in THF (0.2 mL) under nitrogen at 0 ℃, a solution of aziridine **8c** (26.5 mg, 0.07 mmol) in THF (0.75 mL) was added dropwisely. The mixture was gradually warmed to room temperature and followed by TLC. The reaction mixture was quenched with sat. NH_4Cl, extracted with EtOAc (5 mL x 3), dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (EtOAc-hexane, 1:9) to give **9** as a colorless liquid (9.2 mg, 69 % yield); R_f (30% EtOAc/hexane) 0.57; $[\alpha]^{27}_D$ = +333 (*c* 0.75, $CHCl_3$); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.38 – 7.26 (m, 10H), 3.10 (br s, 2H).

(1*S*,2*R*)-2-Amino-1,2-diphenylethanol (10)[15]



To a stirred solution of aziridine **9** (9.2 mg, 0.05 mmol) in acetone/water (2:1, 0.47 mL) was added trifluoroacetic acid (4 μL, 0.05 mmol) at 10 ^{o}C. The mixture was gradually warmed to room temperature and stirred overnight. The reaction mixture was quenched with sat. $NaHCO_3$, extracted with dichloromethane (5 mL x 3), dried over Na_2SO_4, and concentrated in *vacuo*. The residue was purified by flash column chromatography (EtOAc-hexane, 3:2) to give **10** as a white solid (8 mg, 81 % yield). R_f (EtOAc) 0.2; $[\alpha]^{27}_D$ = +6.5 (*c* 0.74, EtOH); **^{1}H NMR** (400 MHz, $CDCl_3$) 7.33 – 7.21 (m, 10H), 4.75 (d, *J* = 6.3 Hz, 1H), 4.17 (d, *J* = 6.3 Hz, 1H). ee was determined by ^{1}H NMR of the Mosher amide derivative δ 5.36 (enantiomer), δ 5.29 (major).

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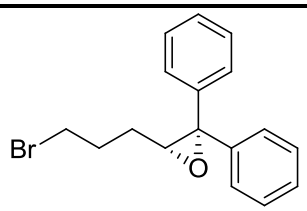
HPLC analysis



6a

HPLC condition: Chiralcel-OJ column, 1.0 mL/min, 20% *i*-PrOH/hexane, 220 nm, 18.9 min (enantiomer), 35.6 min (major)

racemic **6a**

#	Time	Area	Height	Width	Area%
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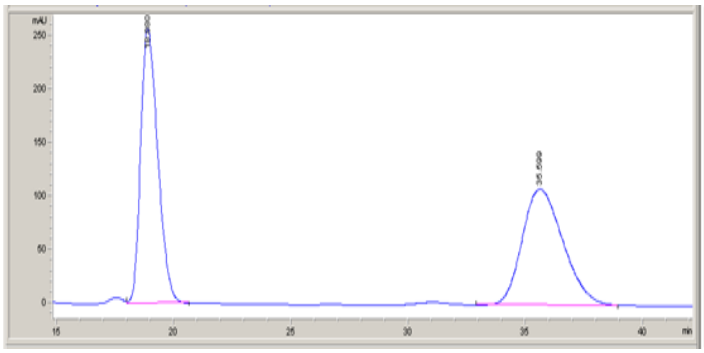
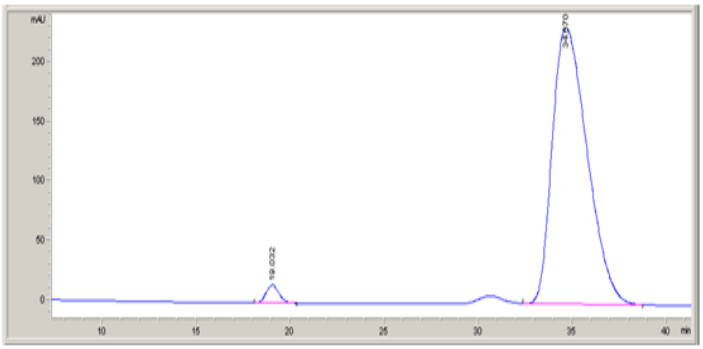
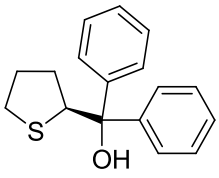


(*R*)-6a with 95% ee

#	Time	Area	Height	Width	Area%
1	19.032	713.7	15	0.7334	2.318
2	34.67	30077.1	232.7	2.0139	97.682



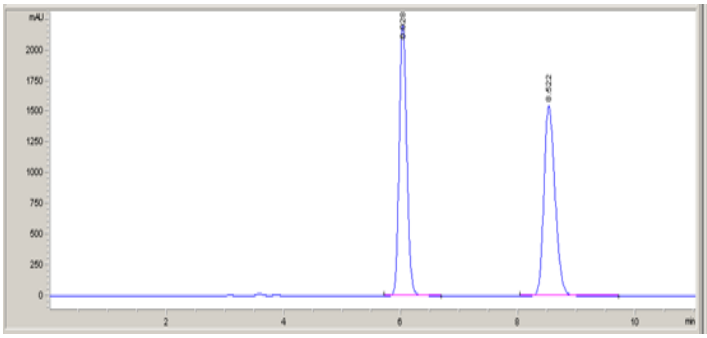


1a

HPLC condition: Chiralpak-AD column, 5% *i*-PrOH/hexane, 220 nm, 6.0 min (enantiomer), 8.5 min (major)

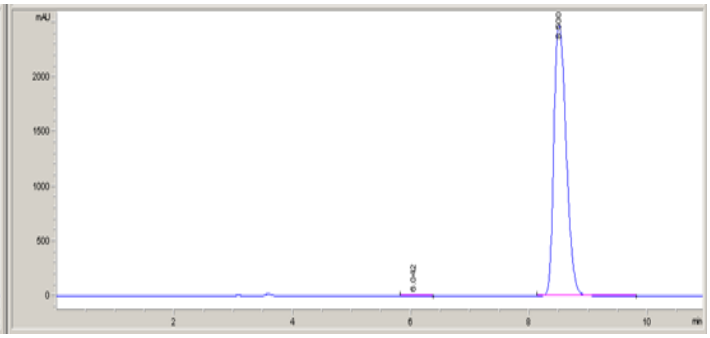
racemic **1a**

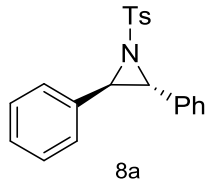
#	Time	Area	Height	Width	Area%
1	6.028	19881.4	2203.1	0.1413	49.338
2	8.522	20414.9	1545.8	0.2041	50.662



(*S*)-1a with >99% ee

#	Time	Area	Height	Width	Area%
1	6.042	20.4	1.8	0.165	0.057
2	8.5	35953.1	2476.2	0.2274	99.943



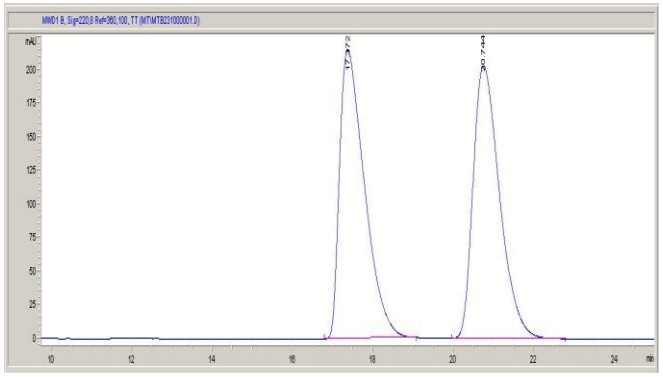


8a

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1, 17.4 min (enantiomer) and 20.7 min (major).

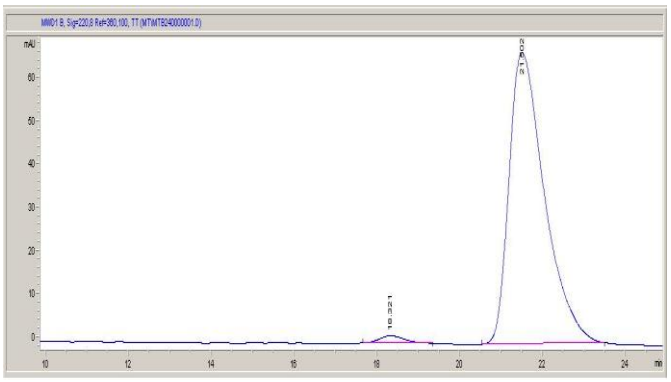
racemic **8a**

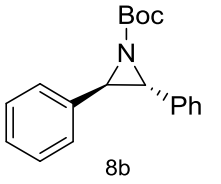
#	Time	Area	Height	Width	Area%	Symmetry
1	17.372	9294.4	215.3	0.6717	49.940	0.461
2	20.744	9316.6	203.3	0.7126	50.060	0.603



(*R*, *R*)-8a with 97% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	18.321	71	1.7	0.5239	1.734	0.701
2	21.502	4020.5	67.4	0.8959	98.266	0.542



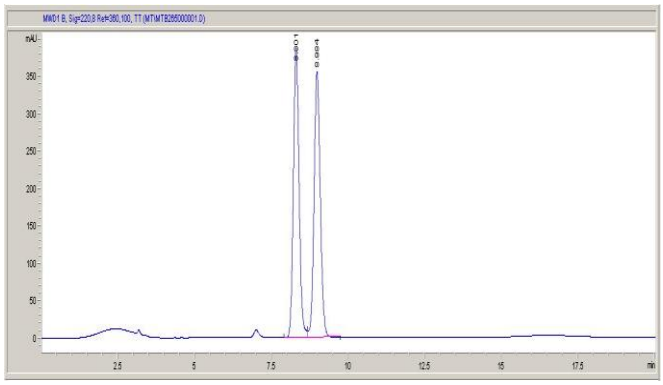


8b

HPLC condition: Chiralpak-AD column, 5 % *i*-PrOH/hexane, 220 nm, 8.1 min (major), 8.8 min (enantiomer)

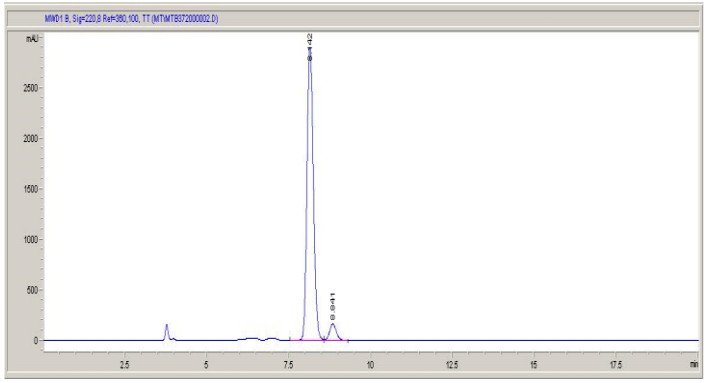
racemic **8b**

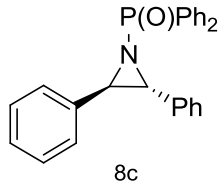
#	Time	Area	Height	Width	Area%	Symmetry
1	8.301	5023.3	388.1	0.201	50.238	0.846
2	8.984	4975.7	355.5	0.2153	49.762	0.864



(*R*, *R*)-8b with 88% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	8.142	39477.1	2899	0.2129	94.227	0.836
2	8.841	2418.8	166.3	0.2237	5.773	0.874



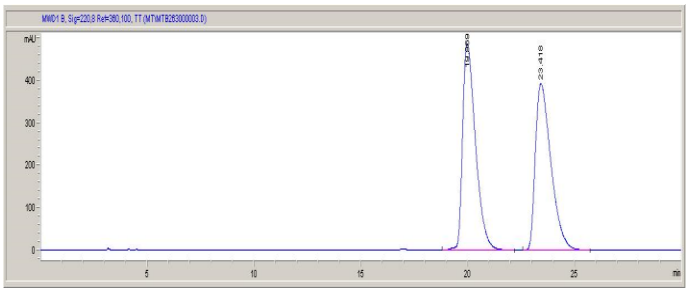


8c

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1, 20.0 min (major) and 23.4 min (enantiomer).

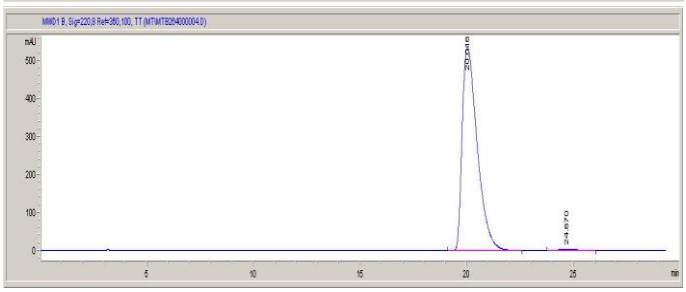
racemic **8c**

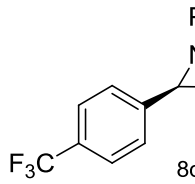
#	Time	Area	Height	Width	Area%	Symmetry
1	19.969	20528.7	487.5	0.6453	50.773	0.54
2	23.418	19903.5	392.6	0.7794	49.227	0.531



(*R*, *R*)-8c with 98% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	20.016	26297	538.9	0.7493	99.076	0.494
2	24.67	245.1	4.6	0.7128	0.924	0.751



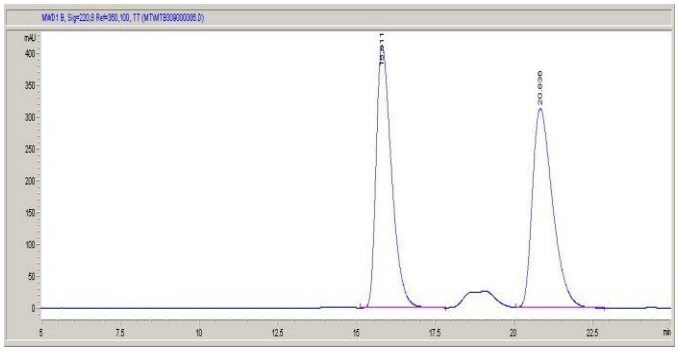


8d

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1, 15.9 min (major) and 20.8 min (enantiomer).

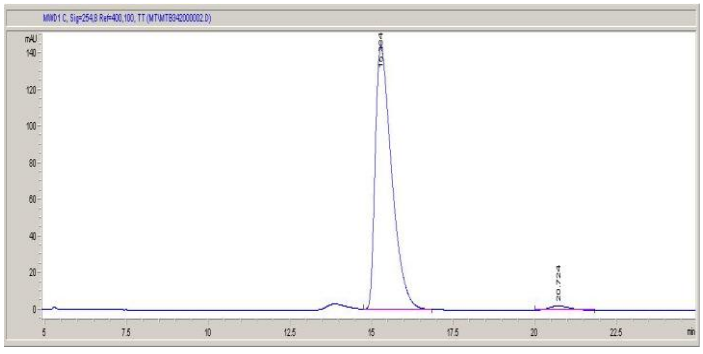
racemic **8d**

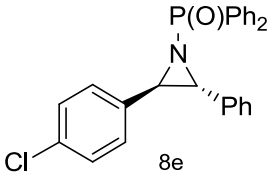
#	Time	Area	Height	Width	Area%	Symmetry
1	15.811	14100.6	413	0.5223	50.053	0.594
2	20.836	14070.6	313.5	0.6917	49.947	0.6



(*R*, *R*)-8d with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	15.284	5063.9	144	0.5363	98.056	0.483
2	20.724	100.4	2.3	0.5823	1.944	0.764



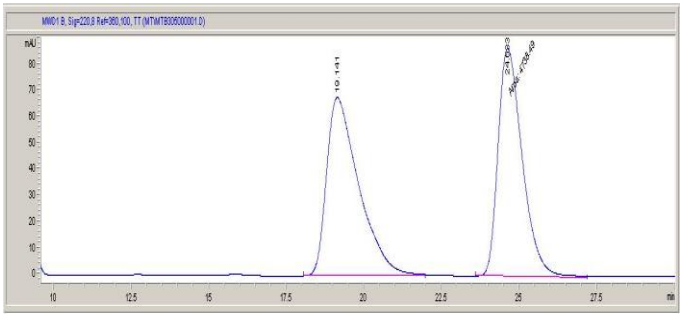


8e

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1, 19.1 min (major) and 24.7 min (enantiomer).

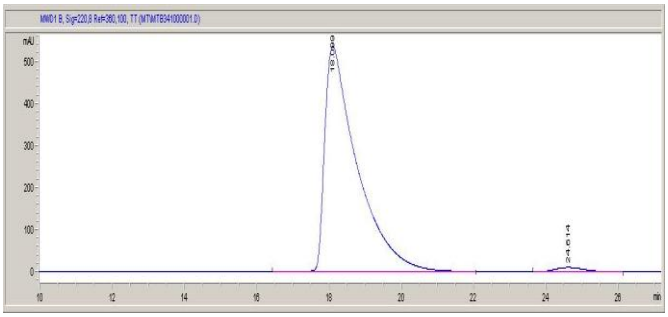
racemic **8e**

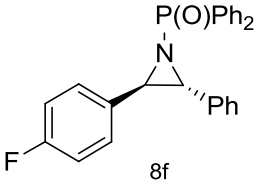
#	Time	Area	Height	Width	Area%	Symmetry
1	19.141	4890.6	67.9	1.054	50.790	0.47
2	24.633	4738.5	87	0.9082	49.210	0.639



(*R, R*)-8e with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	18.099	33398.1	536.5	0.8723	98.231	0.307
2	24.614	601.3	10.6	0.8857	1.769	0.77



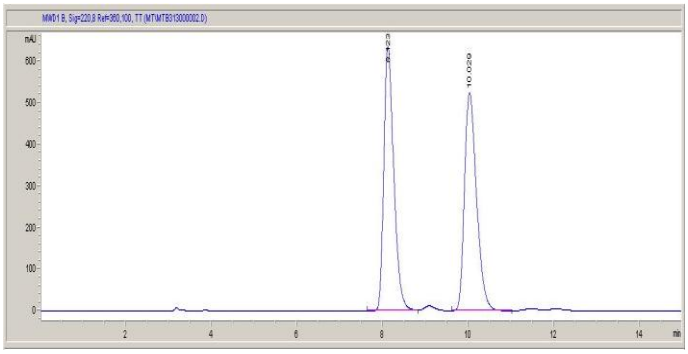


8f

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1, 8.1 min (major) and 10.1 min (enantiomer).

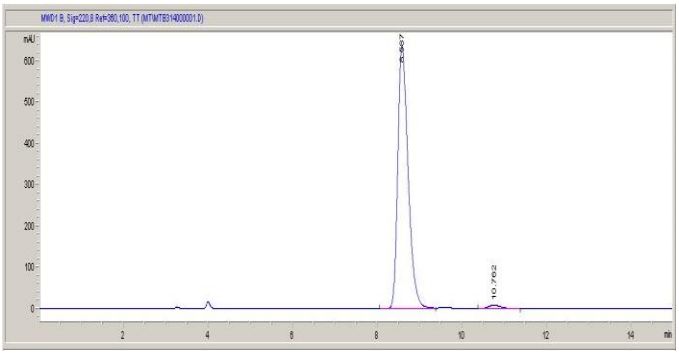
racemic **8f**

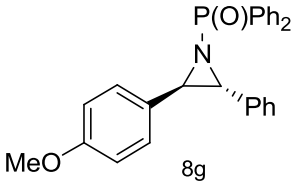
#	Time	Area	Height	Width	Area%	Symmetry
1	8.123	10322.6	636.3	0.2473	50.114	0.661
2	10.029	10275.5	525.4	0.3022	49.886	0.677



(*R, R*)-8f with 97% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	8.587	10865.4	636.4	0.2612	98.456	0.658
2	10.762	170.4	8.5	0.3063	1.544	0.778



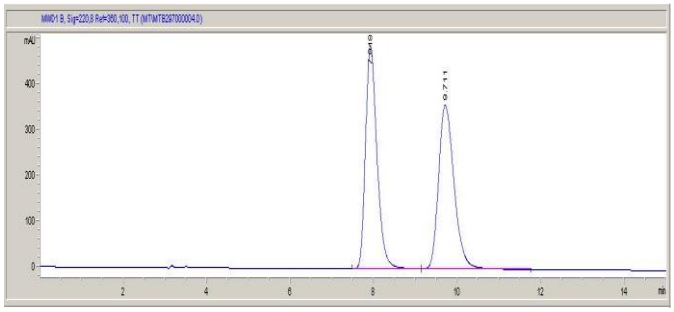


8g

HPLC condition: Chiralcel-OD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 9/1, 7.9 min (major) and 9.7 min (enantiomer).

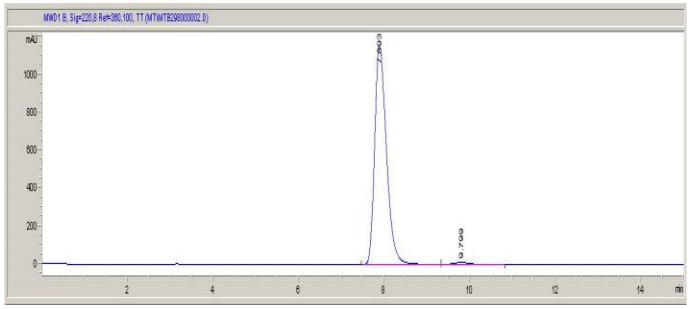
racemic **8g**

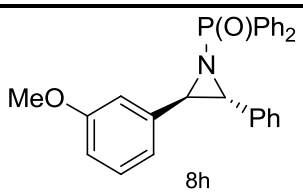
#	Time	Area	Height	Width	Area%	Symmetry
1	7.918	9384.2	489.2	0.2938	50.039	0.704
2	9.711	9369.7	359.9	0.3998	49.961	0.712



(*R*, *R*)-8g with 97% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	7.893	22213.9	1162.5	0.2929	98.533	0.667
2	9.799	330.7	12	0.4203	1.467	0.828



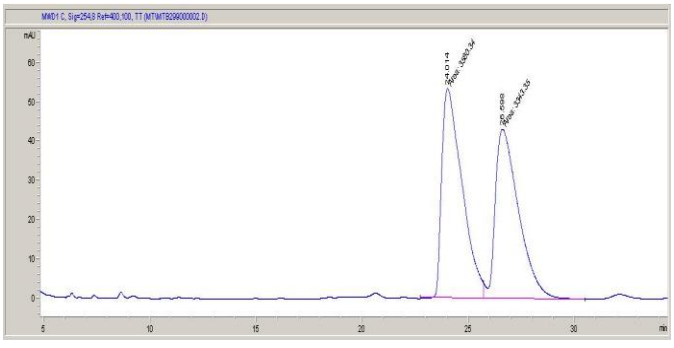


8h

HPLC condition: Chiralcel-OD, 1.0 mL/min, 254 nm, hexane/*i*-PrOH, 49:1, 24.0 min (major) and 26.6 min (enantiomer).

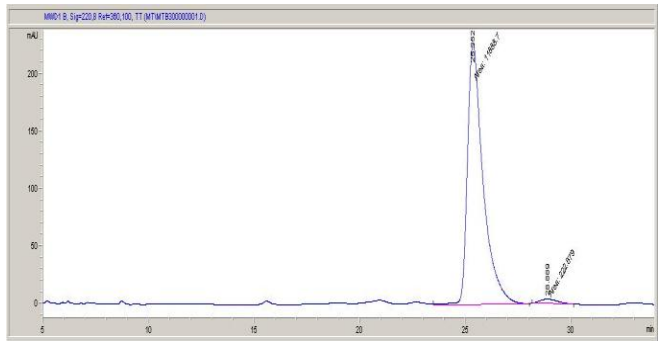
racemic **8h**

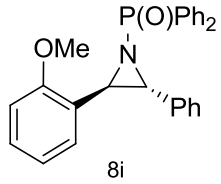
#	Time	Area	Height	Width	Area%	Symmetry
1	24.014	3560.3	53.4	1.1119	51.572	0.397
2	26.598	3343.3	43.2	1.2898	48.428	0.434



(*R*, *R*)-8h with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	25.352	11888.7	228.1	0.8686	98.161	0.549
2	28.889	222.7	3.7	0.9965	1.839	0.603



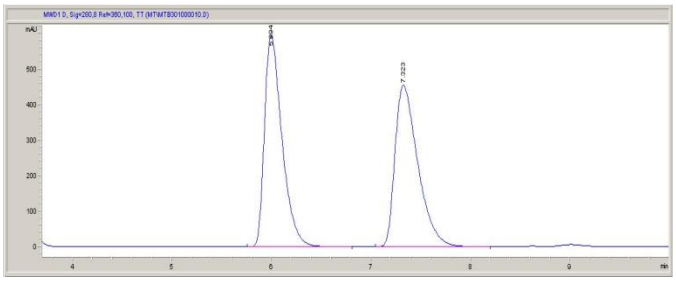


8i

HPLC condition: Chiralcel-OD, 1.0 mL/min, 280 nm, hexane/*i*-PrOH, 9:1, 6.0 min (major) and 7.3 min (enantiomer).

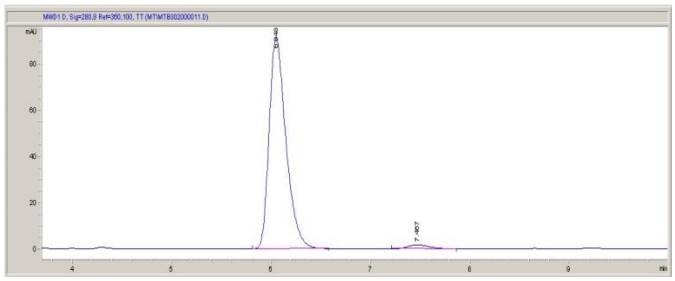
racemic **8i**

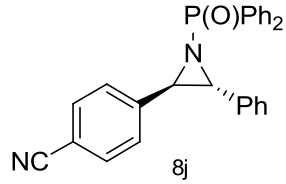
#	Time	Area	Height	Width	Area%	Symmetry
1	5.994	7257.9	594.1	0.1865	50.066	0.57
2	7.323	7238.9	453.9	0.2441	49.934	0.543



(*R, R*)-8i with 95% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	6.048	1074.9	91.2	0.1795	97.595	0.652
2	7.467	26.5	1.8	0.2243	2.405	0.739



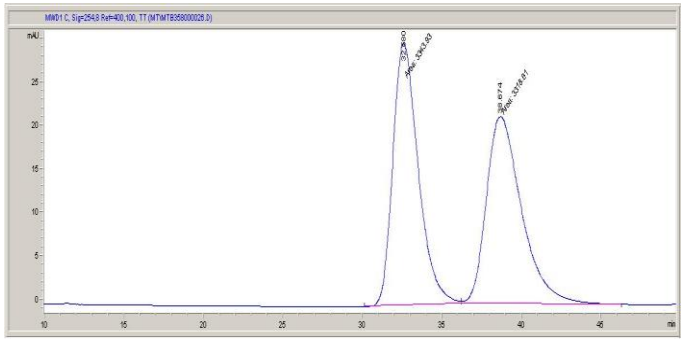


8j

HPLC condition: Chiralpak-AS, 0.7 mL/min, 254 nm, hexane/*i*-PrOH, 19:1, 32.6 min (major) and 38.7 min (enantiomer).

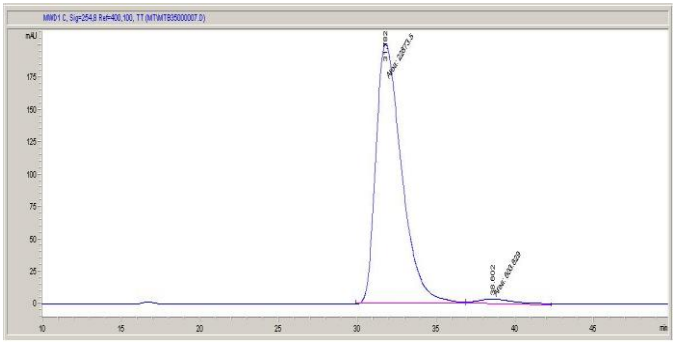
racemic **8j**

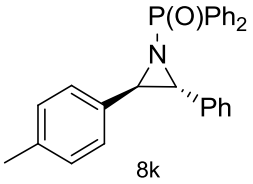
#	Time	Area	Height	Width	Area%	Symmetry
1	32.58	3343.9	30	1.855	50.190	0.71
2	38.674	3318.6	21.5	2.5773	49.810	0.645



(*R, R*)-8j with 95% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	31.782	22873.5	201	1.8964	97.429	0.594
2	38.602	603.6	3.5	2.8659	2.571	0.515



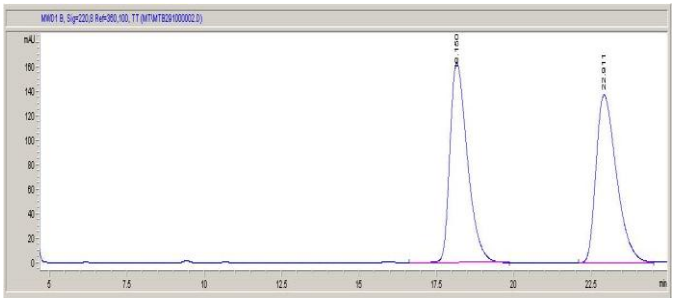


8k

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1, 18.1 min (major) and 22.9min (enantiomer).

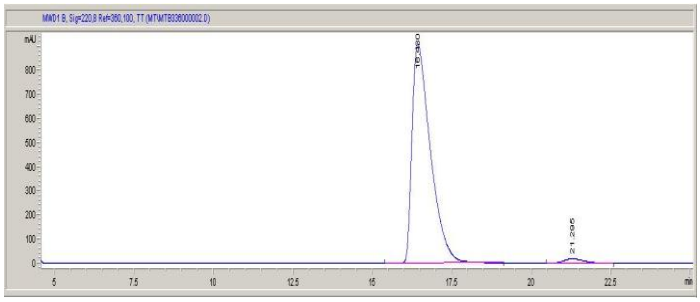
racemic **8k**

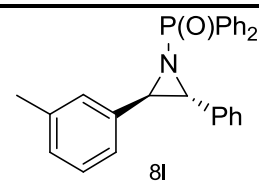
#	Time	Area	Height	Width	Area%	Symmetry
1	18.15	6467.7	163.4	0.6058	50.441	0.604
2	22.911	6354.5	137.5	0.7112	49.559	0.608



(*R*, *R*)-8k with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	16.43	36938.6	910.2	0.6115	97.833	0.441
2	21.295	818	18.8	0.6624	2.167	0.737



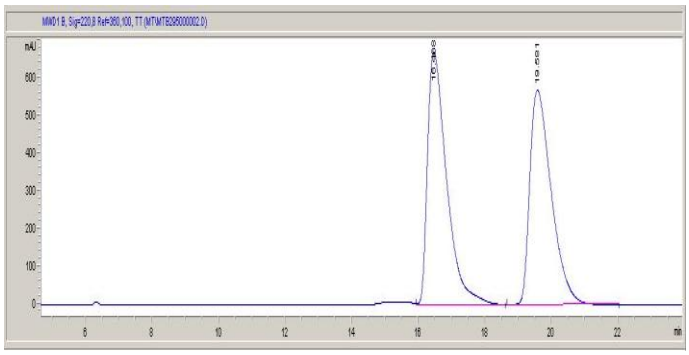


8l

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH 49/1, 16.5 min (major) and 19.6 min (enantiomer).

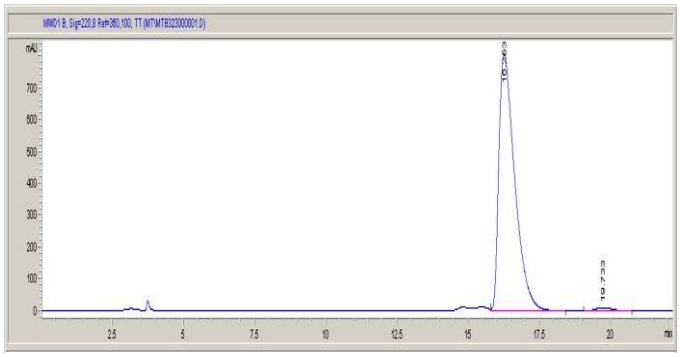
racemic **8l**

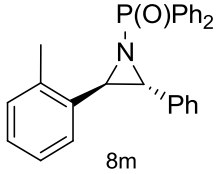
#	Time	Area	Height	Width	Area%	Symmetry
1	16.468	26921.2	671.6	0.6056	51.187	0.458
2	19.581	25673.1	570.5	0.689	48.813	0.518



(*R*, *R*)-8l with 97% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	16.253	31305.7	808.1	0.5879	98.707	0.465
2	19.733	410.1	10.8	0.573	1.293	0.798



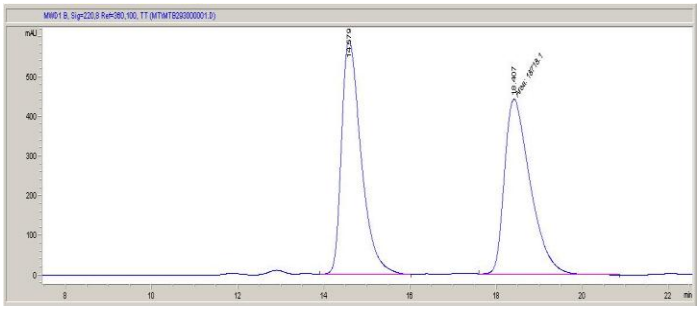


8m

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49:1, 14.6 min (major) and 18.4 min (enantiomer).

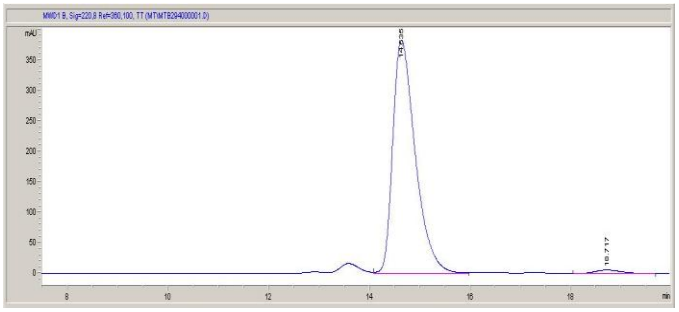
racemic **8m**

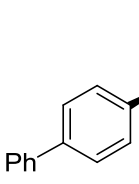
#	Time	Area	Height	Width	Area%	Symmetry
1	14.579	18858	592.2	0.4855	50.186	0.572
2	18.407	18718.1	443.1	0.704	49.814	0.561



(*R*, *R*)-8m with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	14.635	11949.8	383.5	0.4736	98.151	0.587
2	18.717	225.1	6	0.5624	1.849	0.789



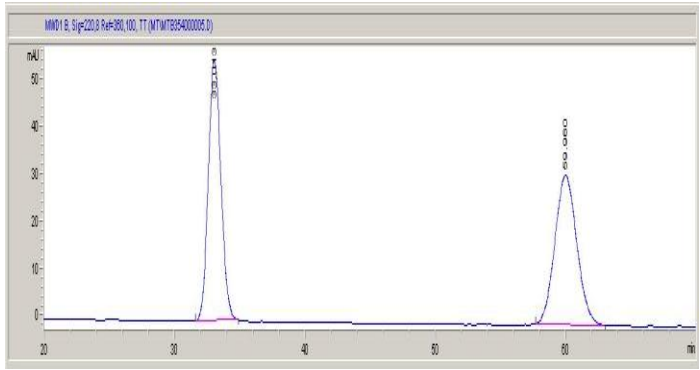


8n

HPLC condition: Chiralpak-AD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 3/1, 33.0 min (major) and 60.0 min (enantiomer).

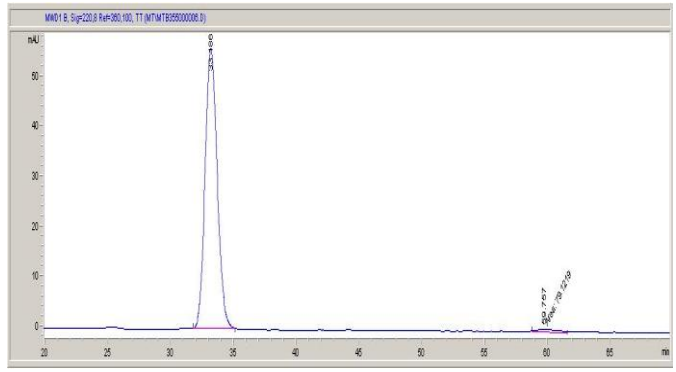
racemic **8n**

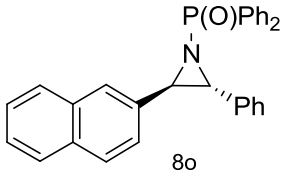
#	Time	Area	Height	Width	Area%	Symmetry
1	33.013	3721.4	55.2	1.0389	50.069	0.866
2	59.96	3711.2	31.6	1.5903	49.931	0.921



(*R*, *R*)-8n with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	33.186	3759.9	56.2	1.0348	97.939	0.86
2	59.757	79.1	6.6E-1	2.0123	2.061	0.507



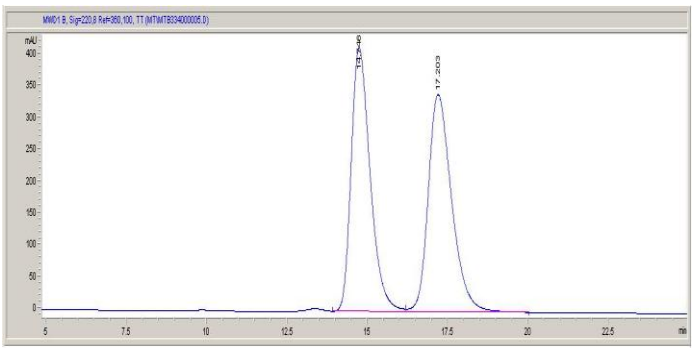


8o

HPLC condition: Chiralcel- OD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1, 14.7 min (major) and 17.2 min (enantiomer).

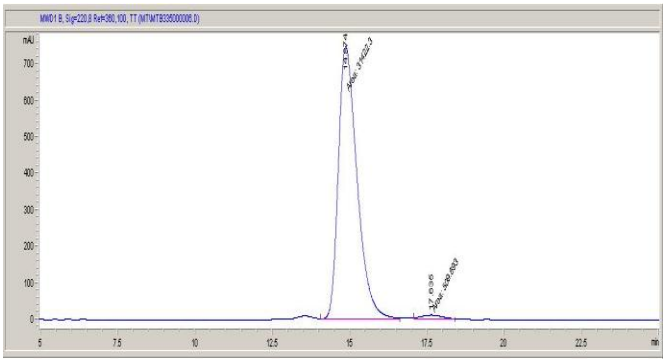
racemic **8o**

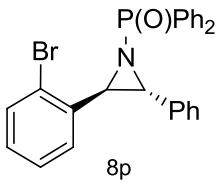
#	Time	Area	Height	Width	Area%	Symmetry
1	14.746	17058.2	414.1	0.6306	49.633	0.676
2	17.203	17310.5	341.5	0.7754	50.367	0.685



(*R, R*)-8o with 97% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	14.874	31422.3	746.5	0.7016	98.404	0.639
2	17.635	509.7	10.8	0.7839	1.596	0.946



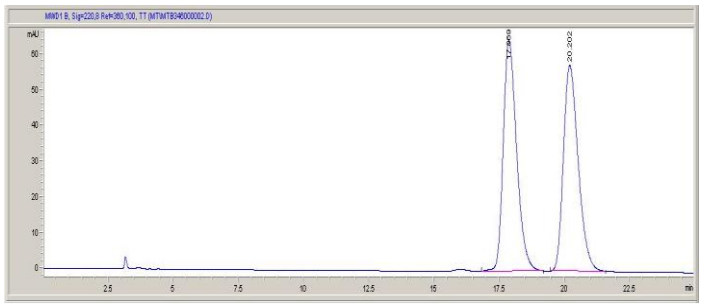


8p

HPLC condition: Chiralcel-OD, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 49/1, 18.0 min (major) and 20.2 min (enantiomer).

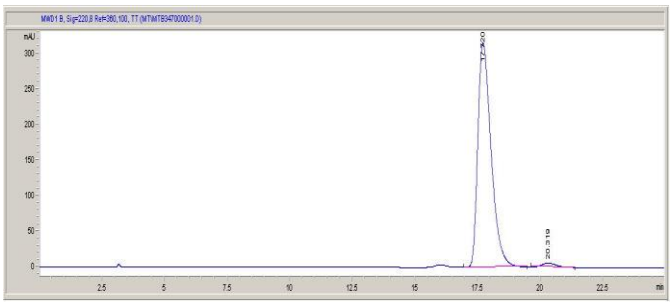
racemic **8p**

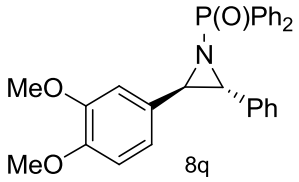
#	Time	Area	Height	Width	Area%	Symmetry
1	17.869	2317.2	64.5	0.5532	50.426	0.705
2	20.202	2278.1	57.6	0.6098	49.574	0.708



(*R, R*)-8p with 96% ee

#	Time	Area	Height	Width	Area%	Symmetry
1	17.72	11607.1	314.9	0.5661	98.148	0.596
2	20.319	219.1	5.6	0.5941	1.852	0.747



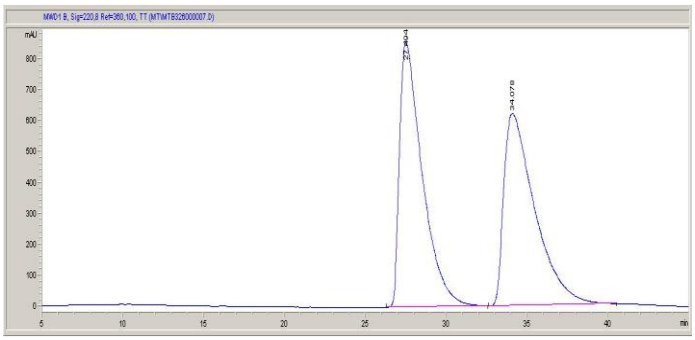


8q

HPLC condition: Chiralcel-OD-H, 1.0 mL/min, 220 nm, hexane/*i*-PrOH, 19/1, 27.5 min (major) and 34.1 min (enantiomer).

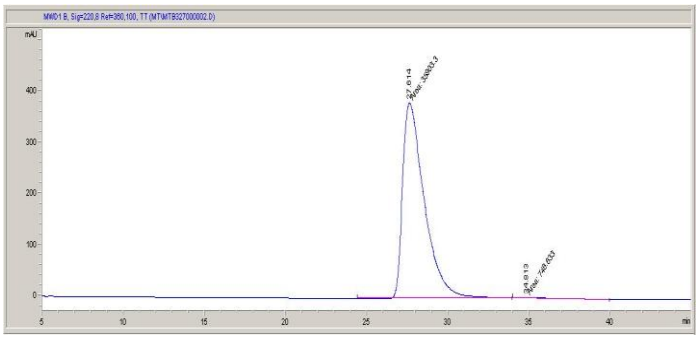
racemic **8q**

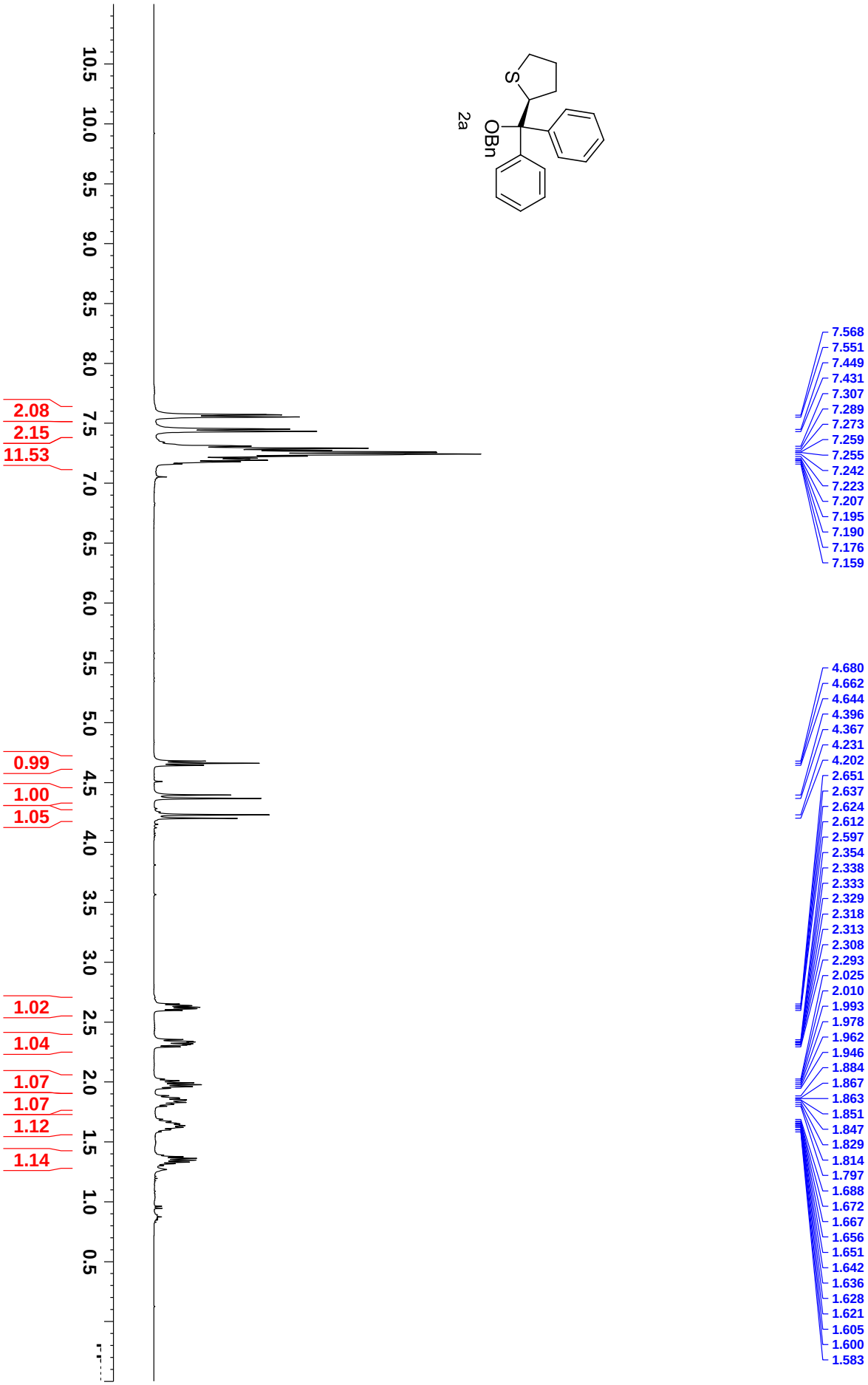
#	Time	Area	Height	Width	Area%	Symmetry
1	27.494	83514	854.1	1.4207	50.260	0.363
2	34.078	82650.7	619.7	1.9161	49.740	0.36

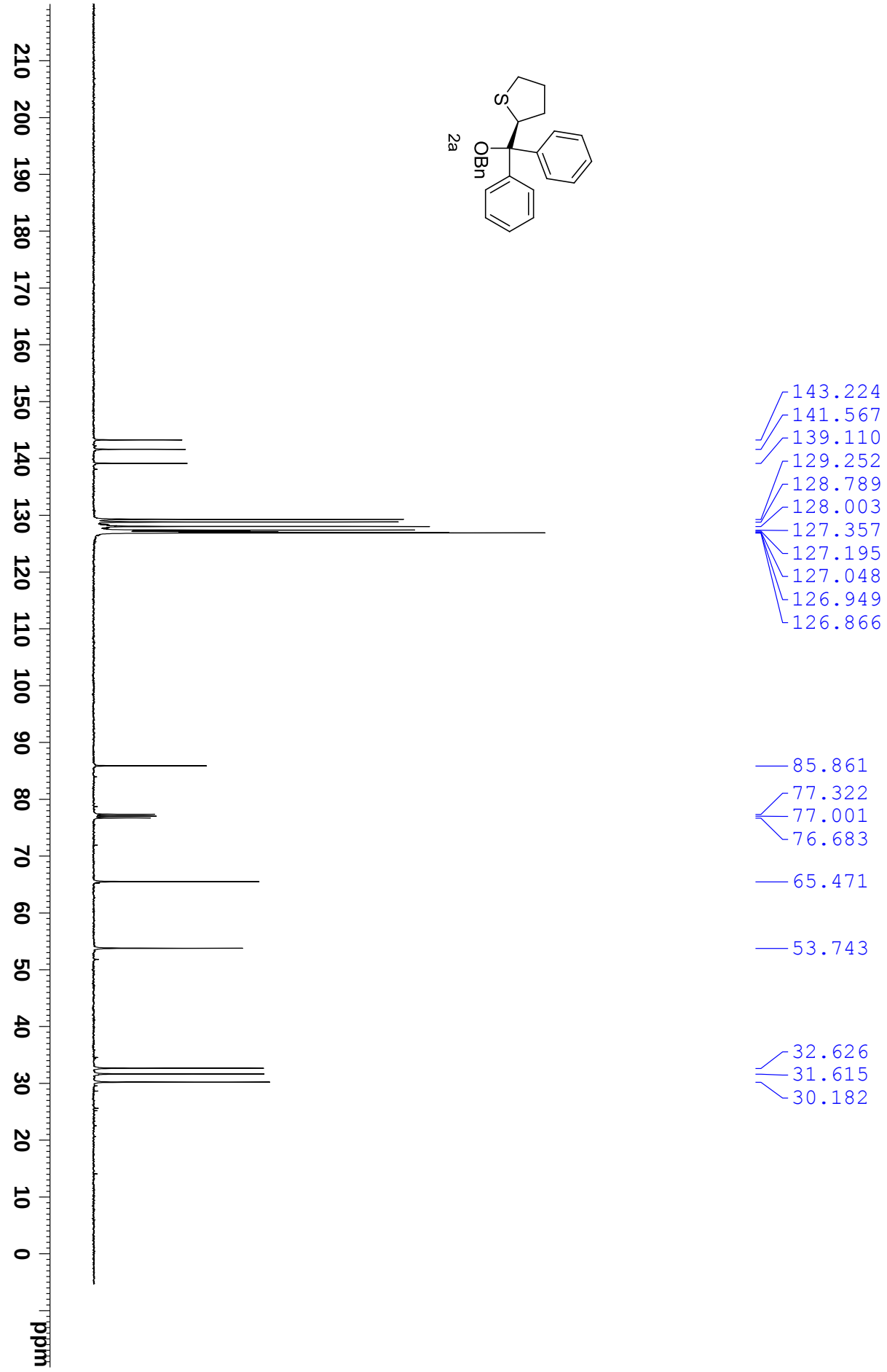


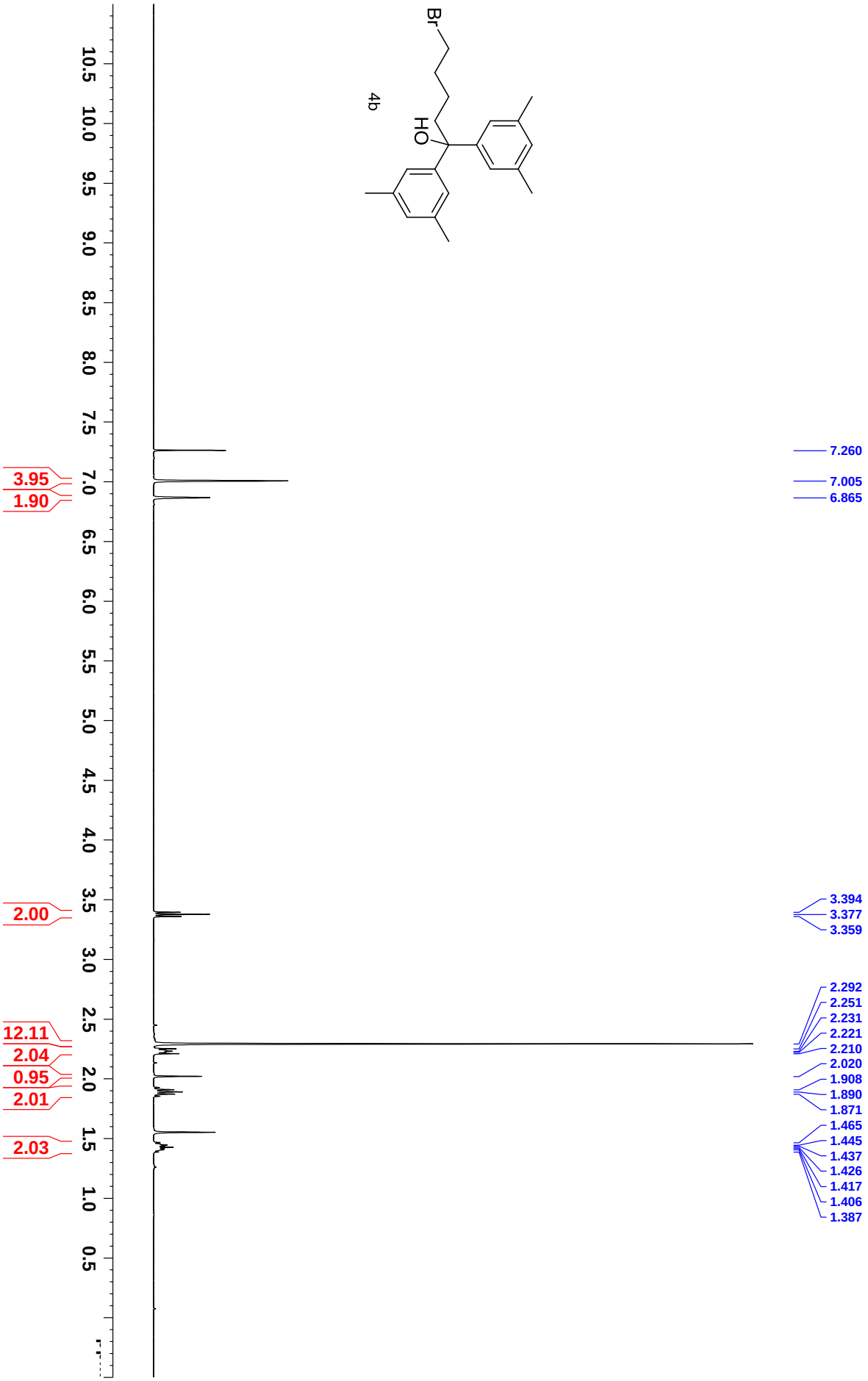
(*R*, *R*)-8q with 96% ee

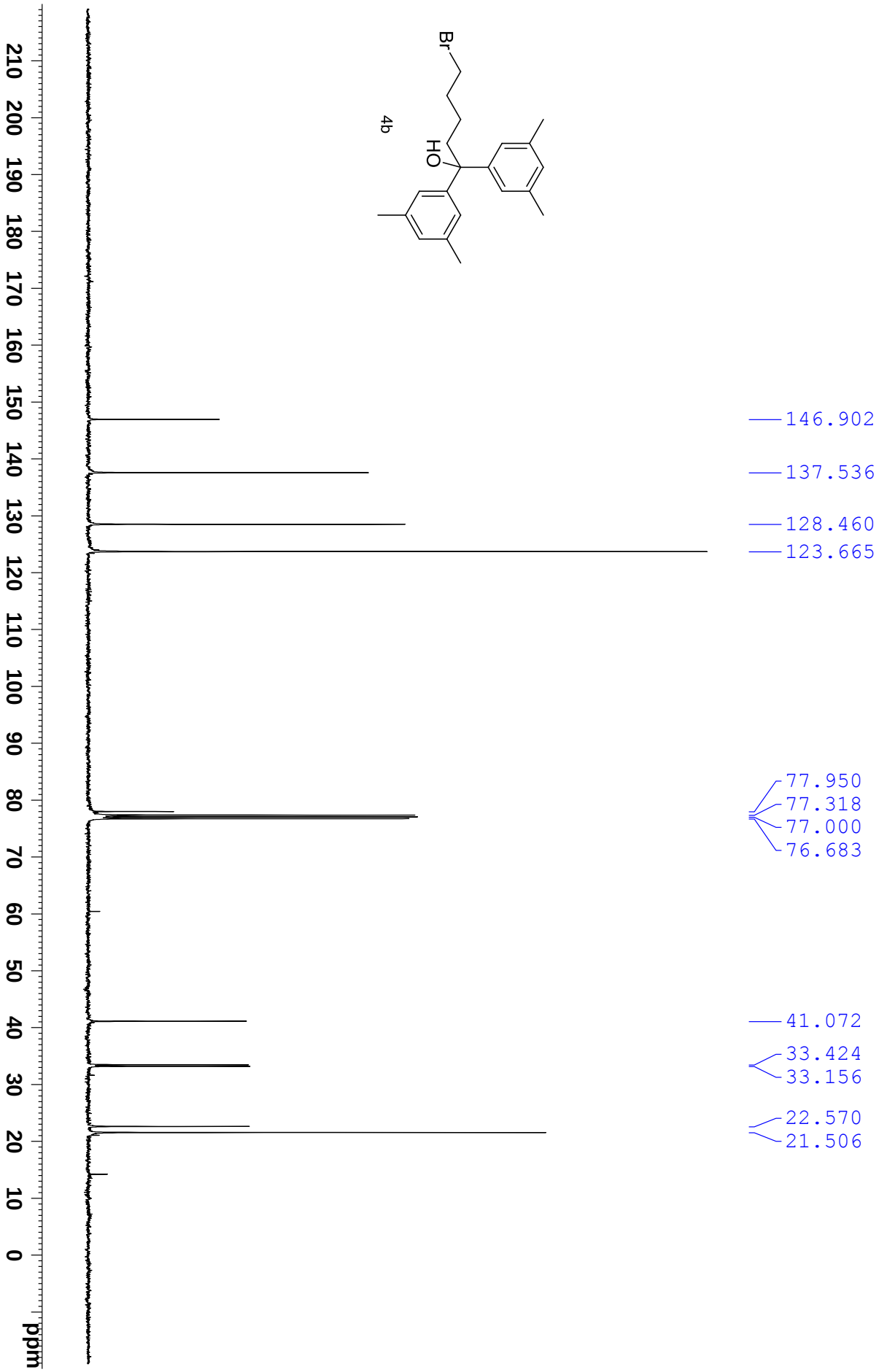
#	Time	Area	Height	Width	Area%	Symmetry
1	27.614	35903.3	382.6	1.564	97.963	0.443
2	34.813	746.6	2.6	4.7474	2.037	0.149

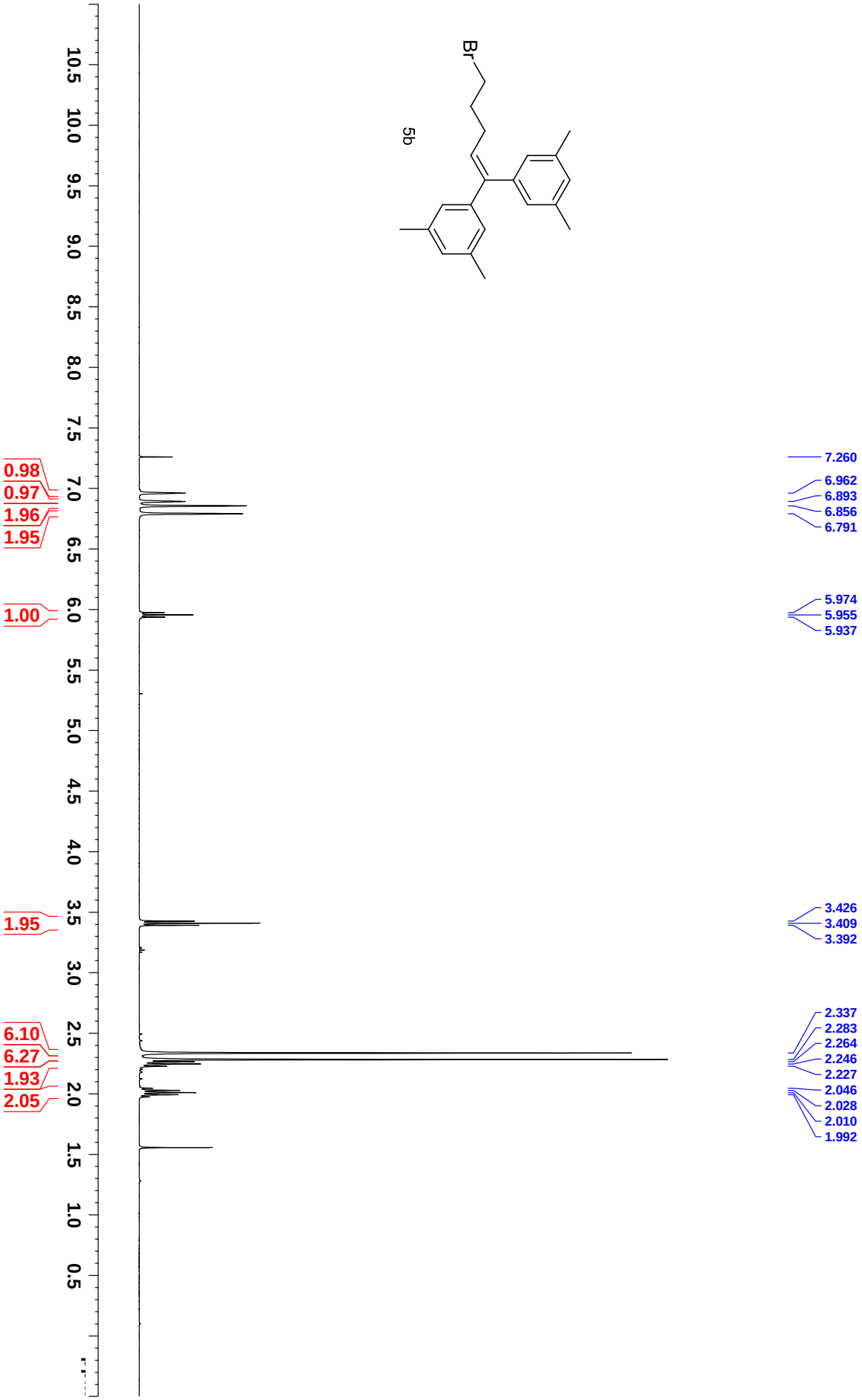



S
OBn
2a
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
2.08
2.15
11.53
0.99
1.00
1.05
1.02
1.04
1.07
1.07
1.12
1.14
7.568
7.551
7.449
7.431
7.307
7.289
7.273
7.259
7.255
7.242
7.223
7.207
7.195
7.190
7.176
7.159
4.680
4.662
4.644
4.396
4.367
4.231
4.202
2.651
2.637
2.624
2.612
2.597
2.354
2.338
2.333
2.329
2.318
2.313
2.308
2.293
2.025
2.010
1.993
1.978
1.962
1.946
1.884
1.867
1.863
1.851
1.847
1.829
1.814
1.797
1.688
1.672
1.667
1.656
1.651
1.642
1.636
1.628
1.621
1.605
1.600
1.583


S
OBn
2a
143.224
141.567
139.110
129.252
128.789
128.003
127.357
127.195
127.048
126.949
126.866
85.861
77.322
77.001
76.683
65.471
53.743
32.626
31.615
30.182
ppm






Br
5b
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.98
0.97
1.96
1.95
1.00
1.95
6.10
6.27
1.93
2.05
7.260
6.962
6.893
6.856
6.791
5.974
5.955
5.937
3.426
3.409
3.392
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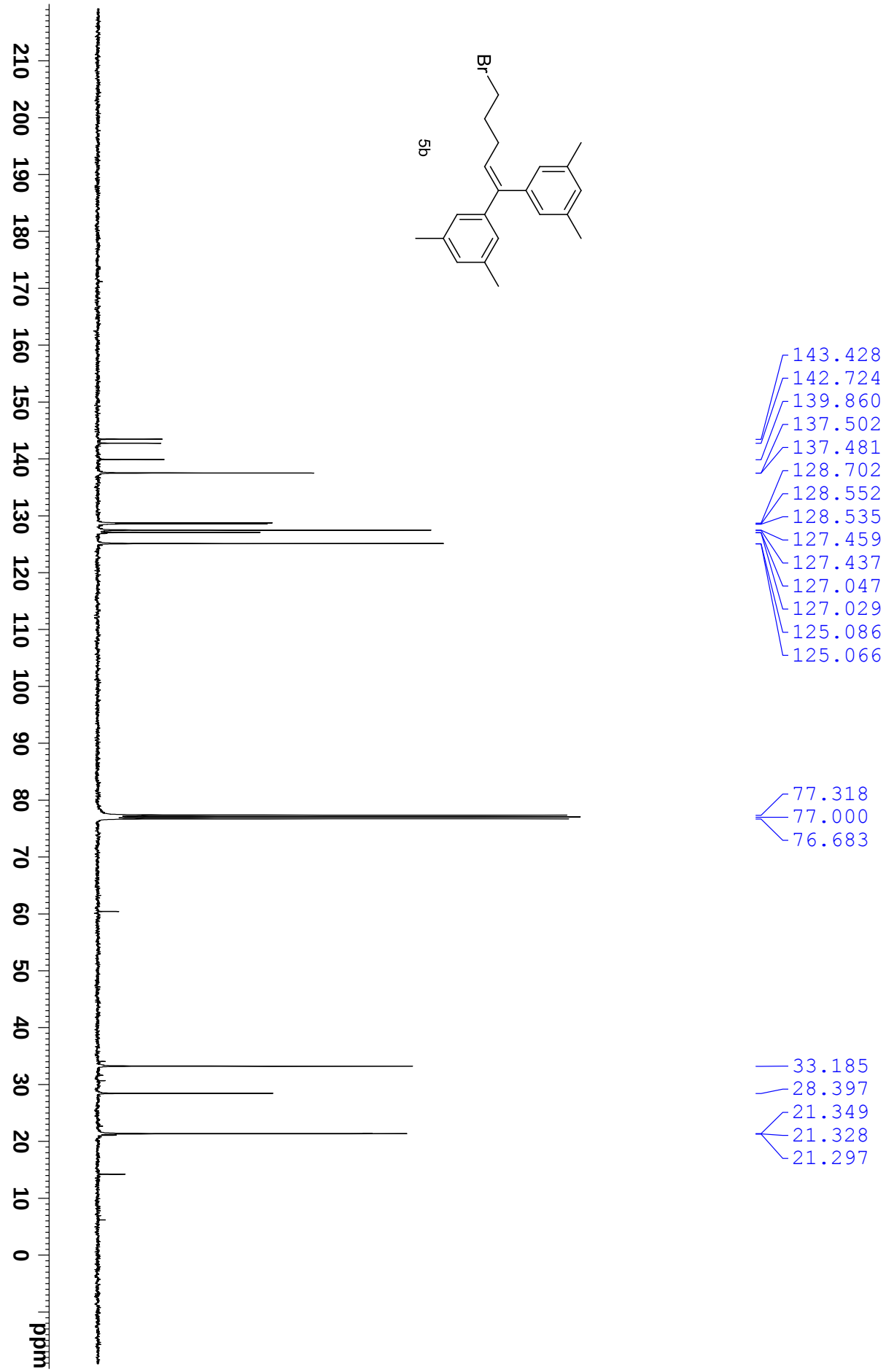
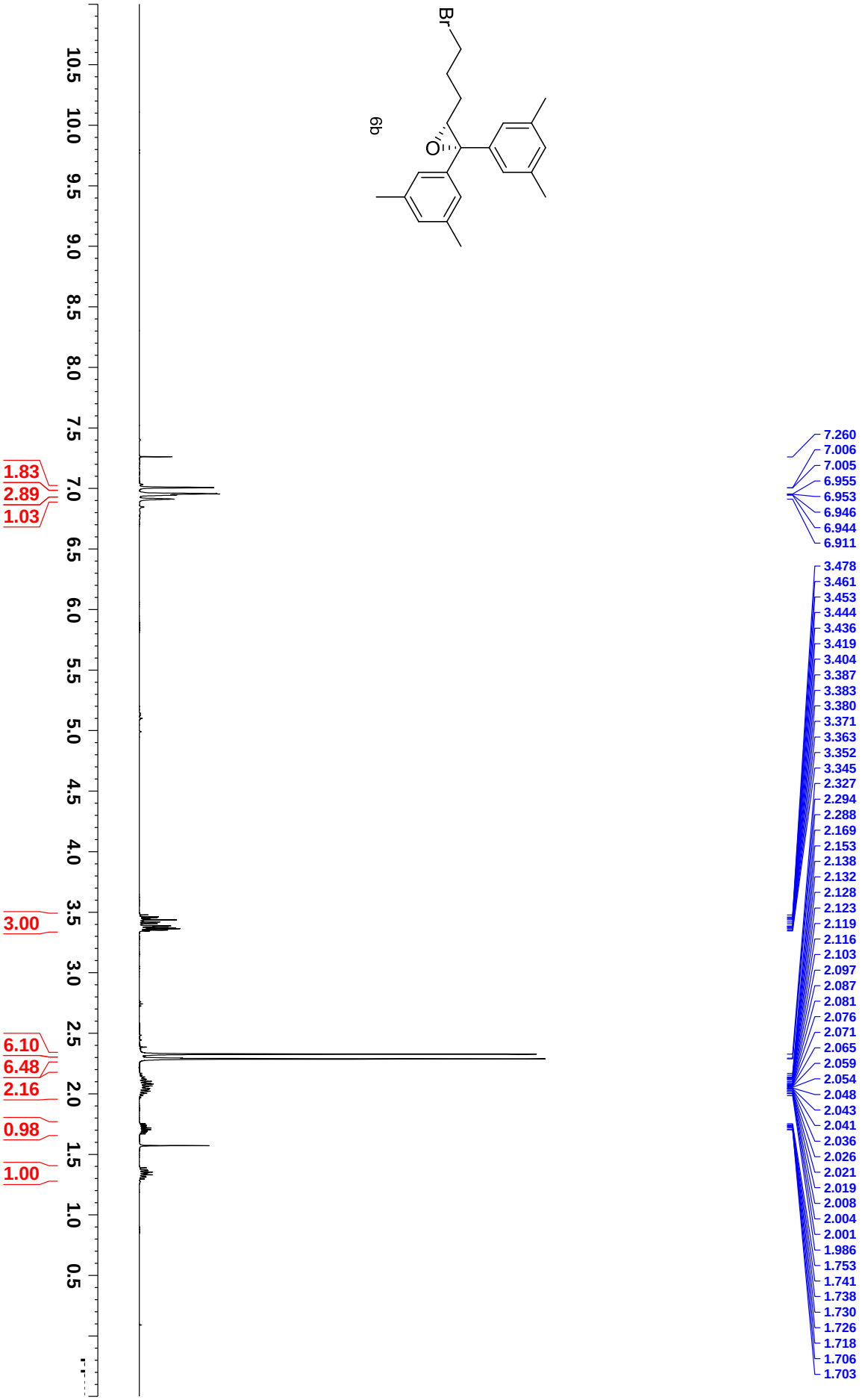
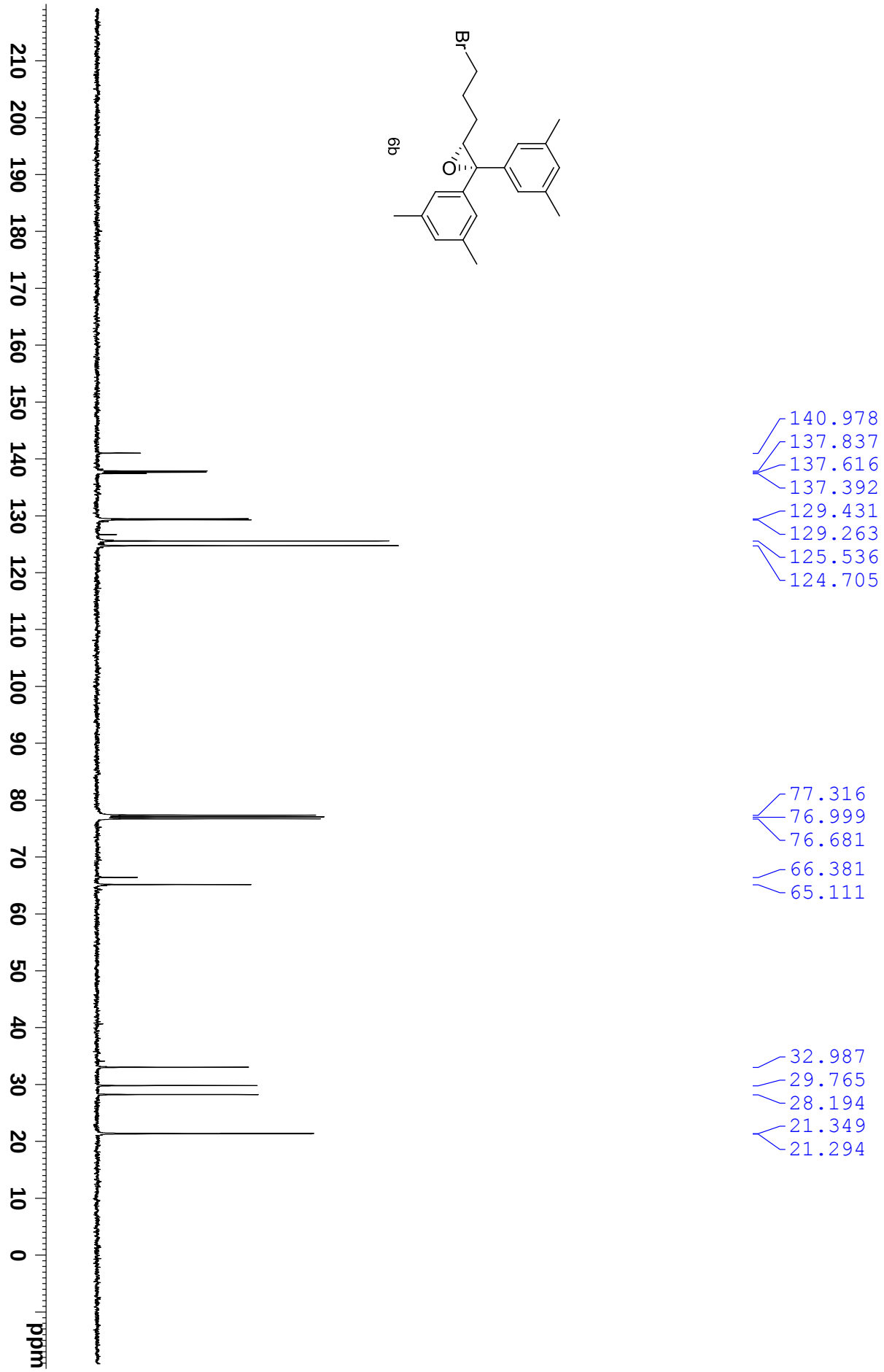
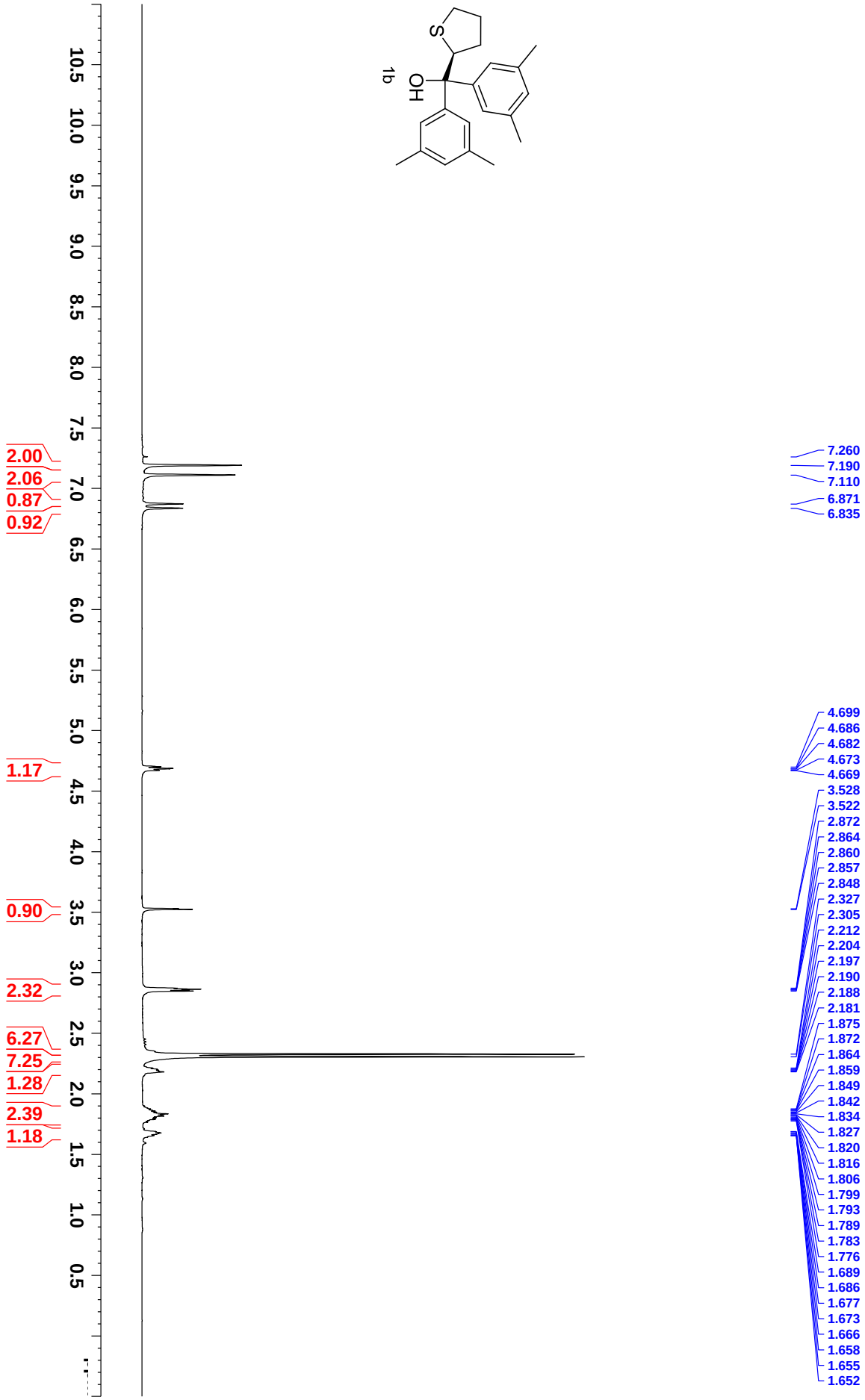
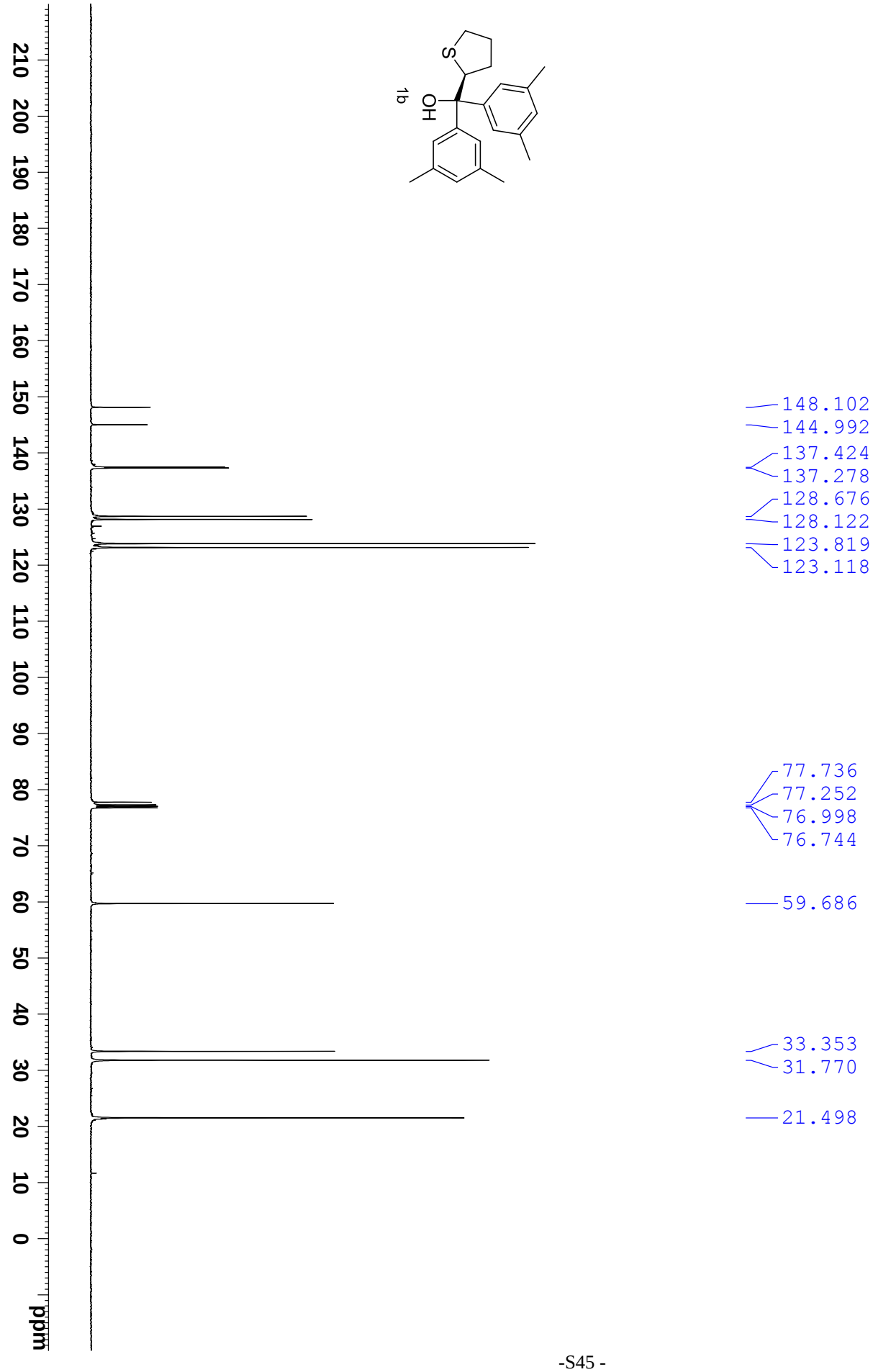
2.264
2.246
2.227
2.046
2.028
2.010
1.992

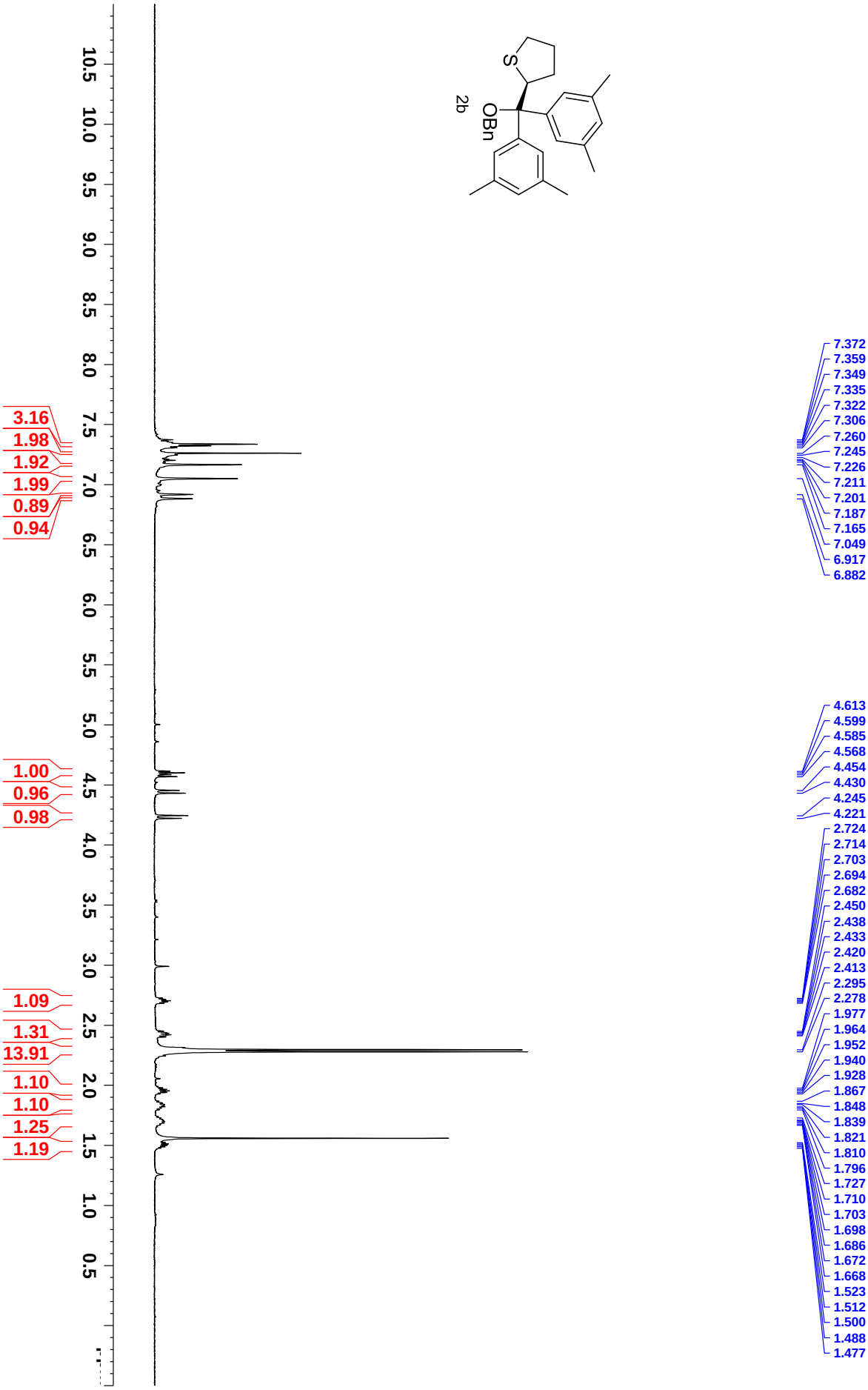


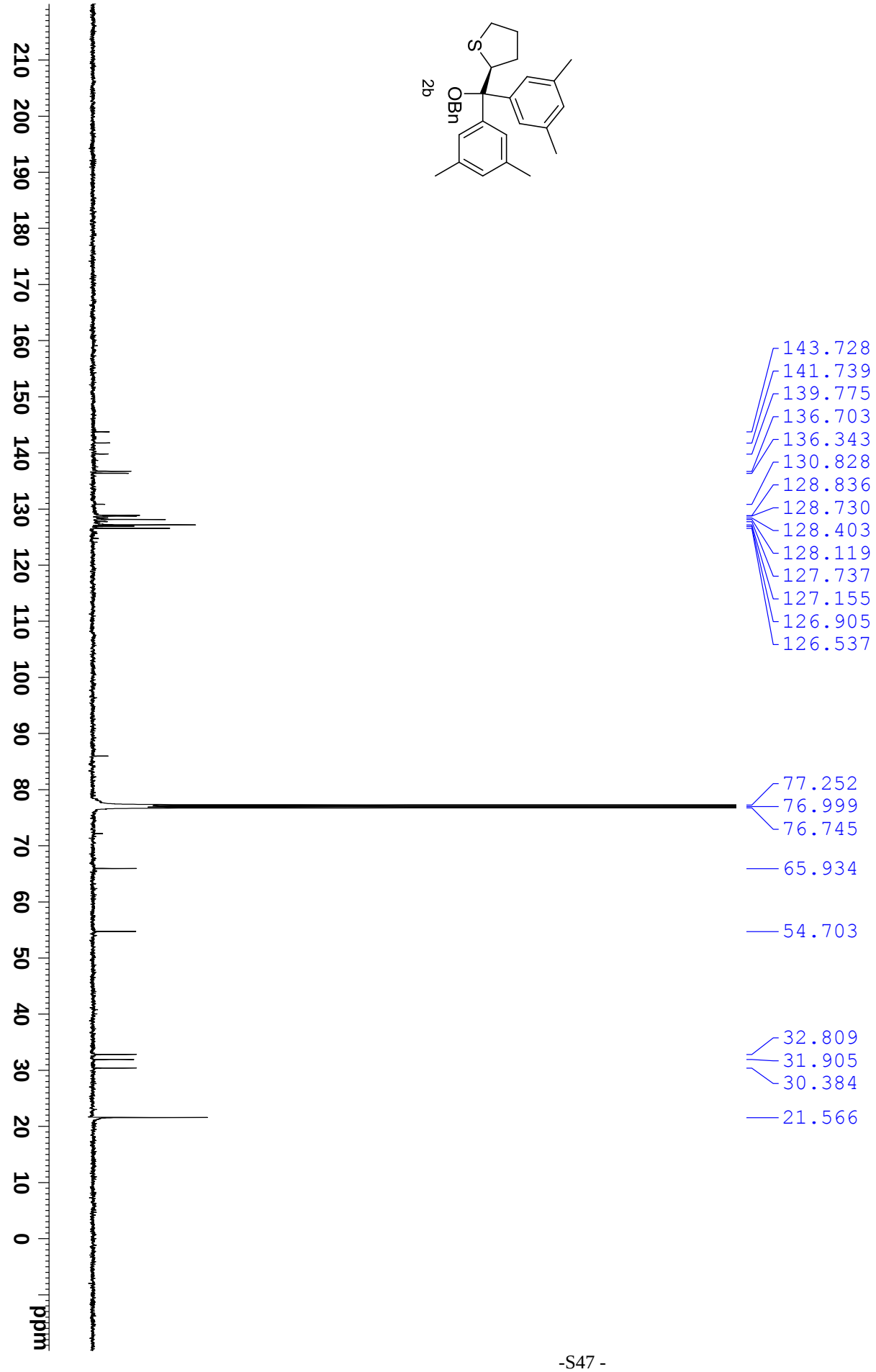











S
OBn
2b
143.728
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139.775
136.703
136.343
130.828
128.836
128.730
128.403
128.119
127.737
127.155
126.905
126.537
77.252
76.999
76.745
65.934
54.703
32.809
31.905
30.384
21.566
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
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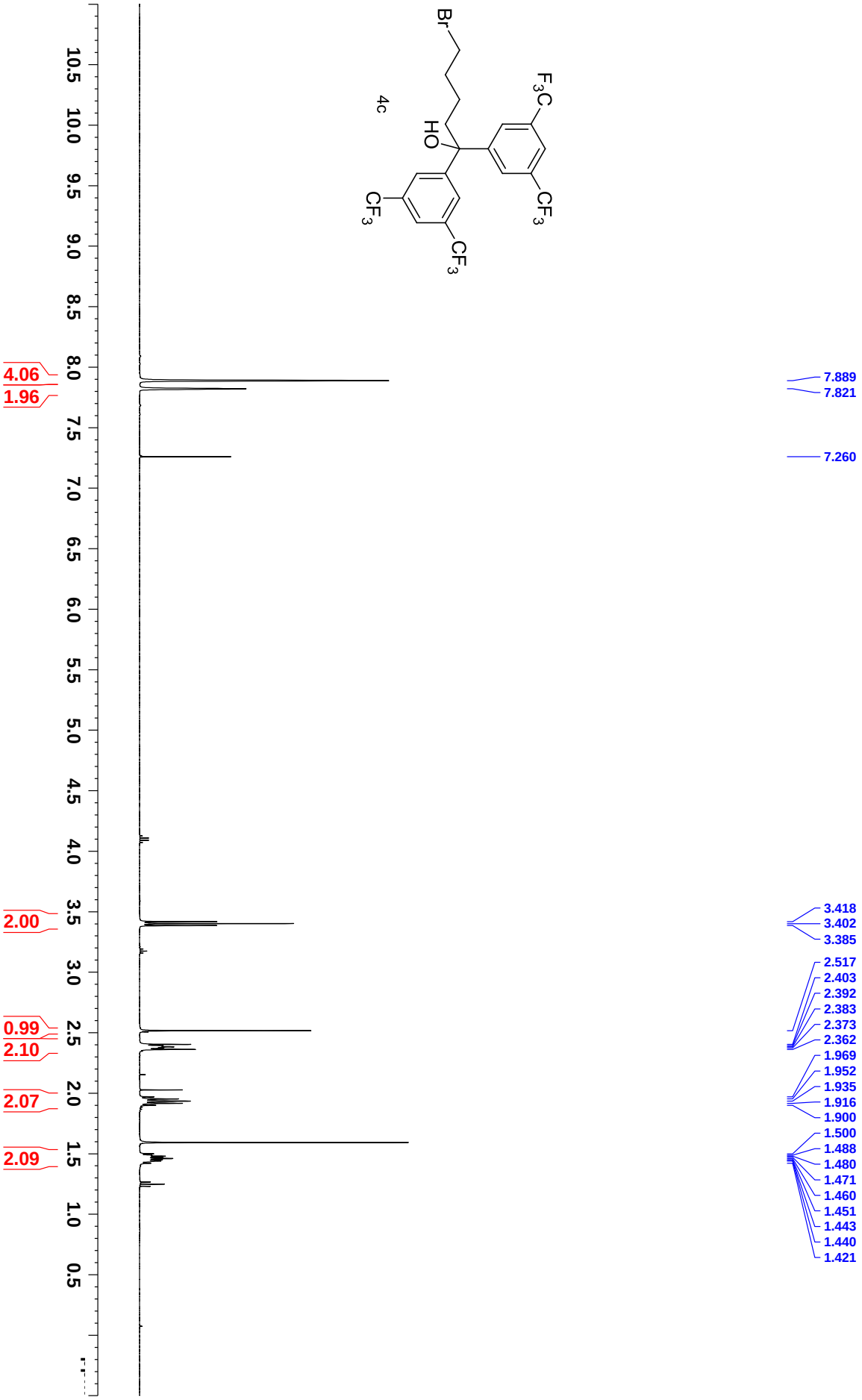
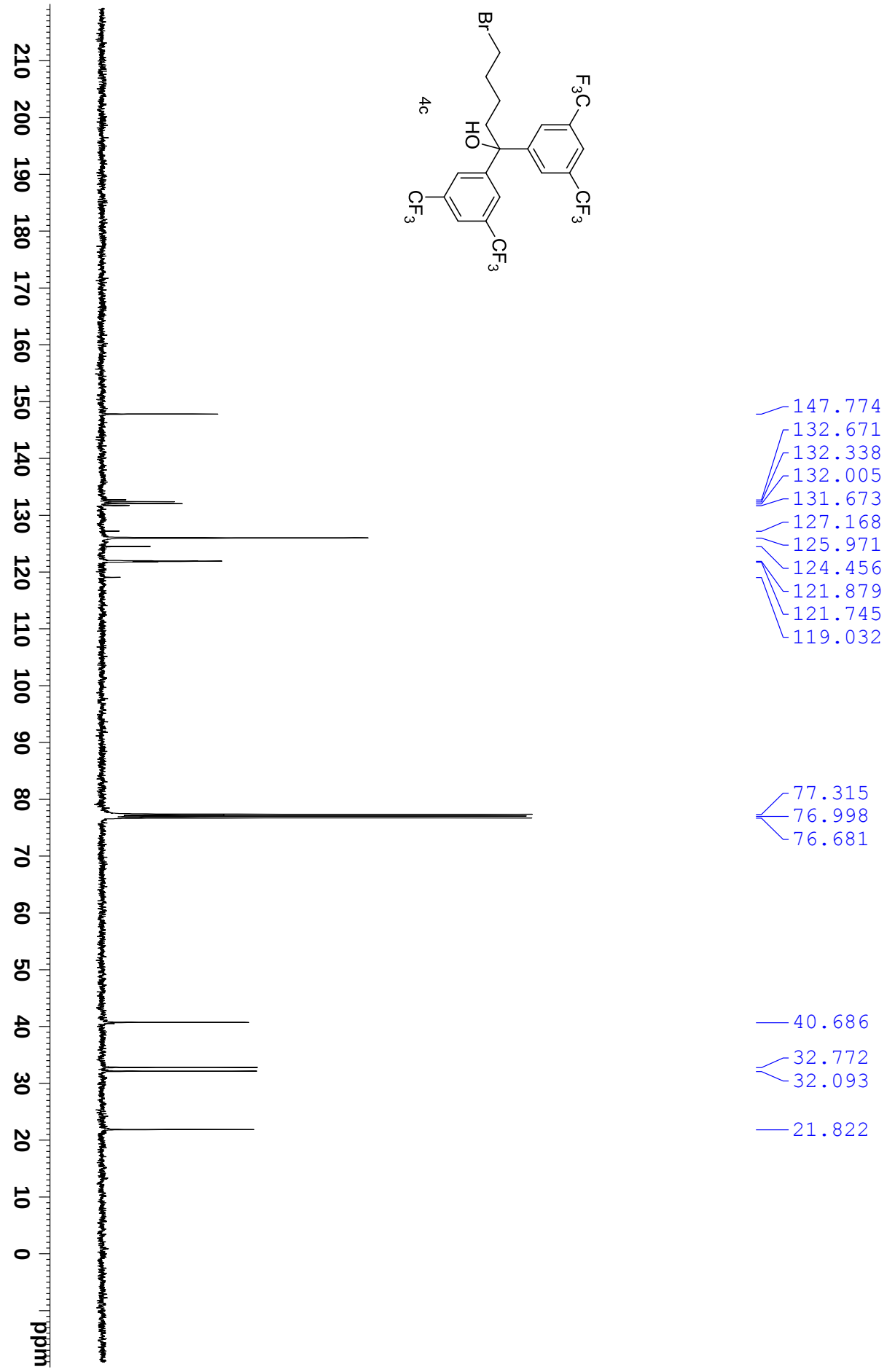
30
20
10
0
ppm




Br
HO
F3C
CF3
CF3
CF3
4c
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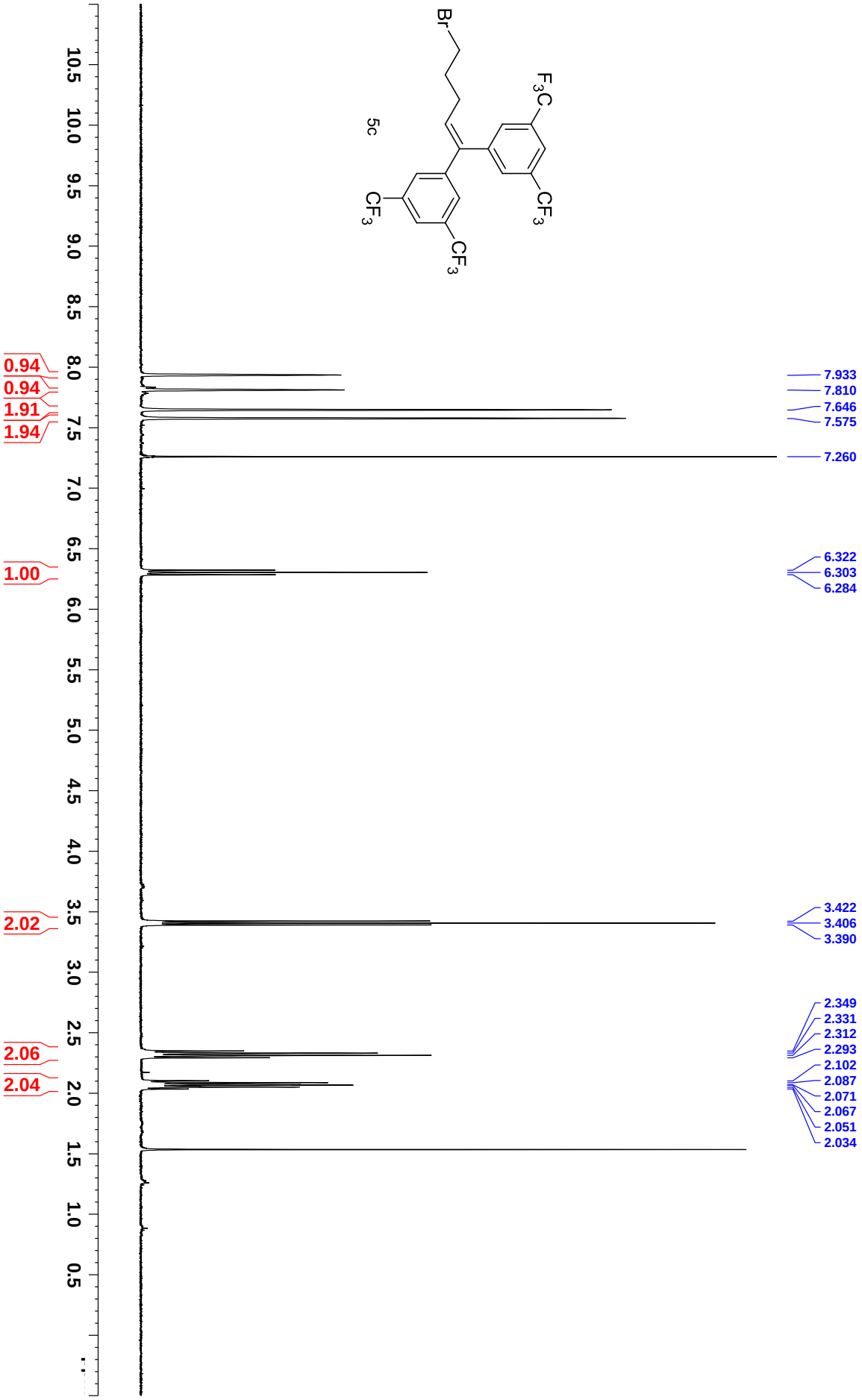
132.338
132.005
131.673
127.168
125.971
124.456
121.879
121.745
119.032
77.315
76.998
76.681
40.686
32.772
32.093
21.822
ppm


Br
F3C
CF3
CF3
CF3
5c
0.94
0.94
1.91
1.94
1.00
2.02
2.06
2.04
7.933
7.810
7.646
7.575
7.260
6.322
6.303
6.284
3.422
3.406
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2.331
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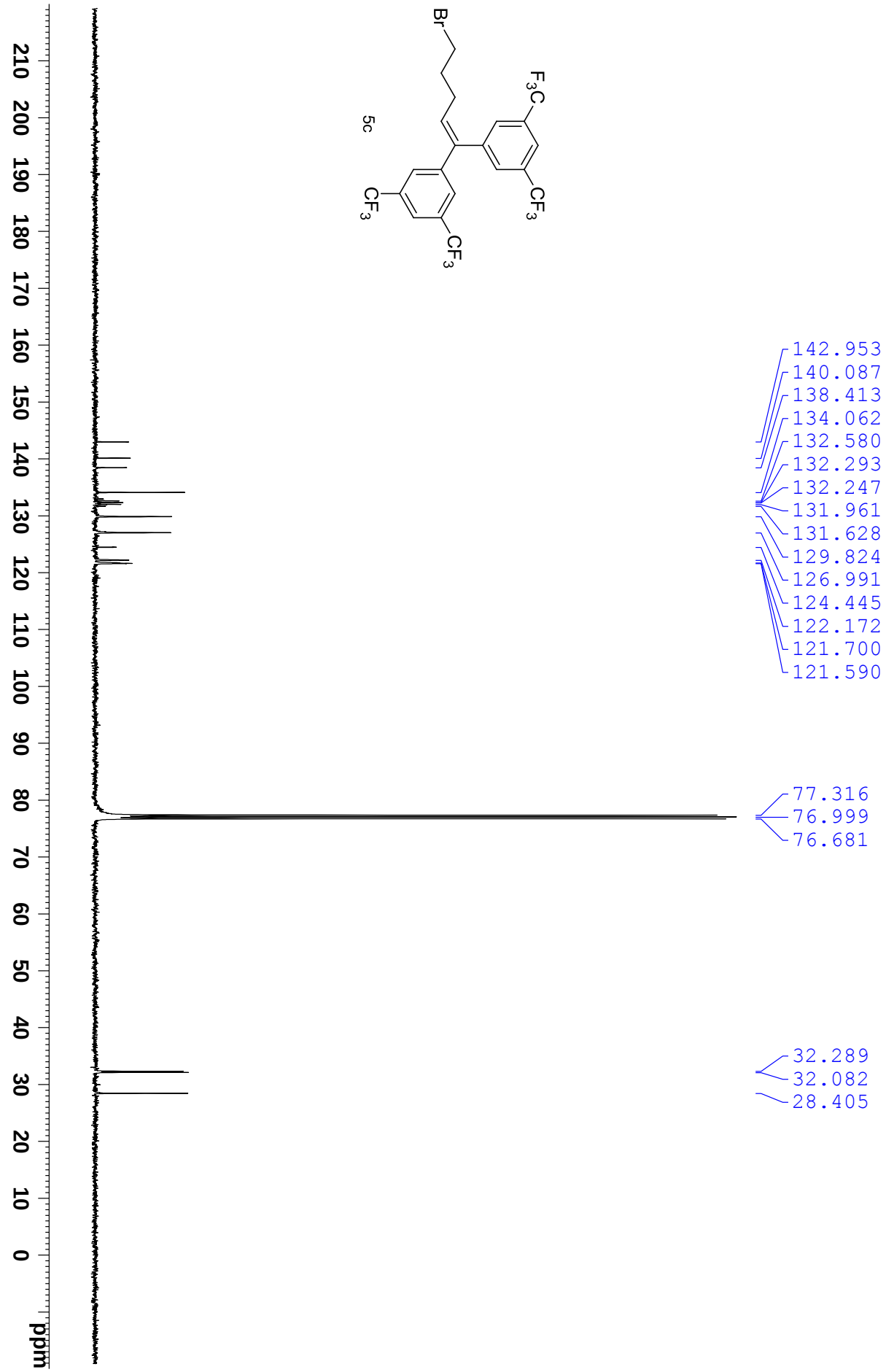
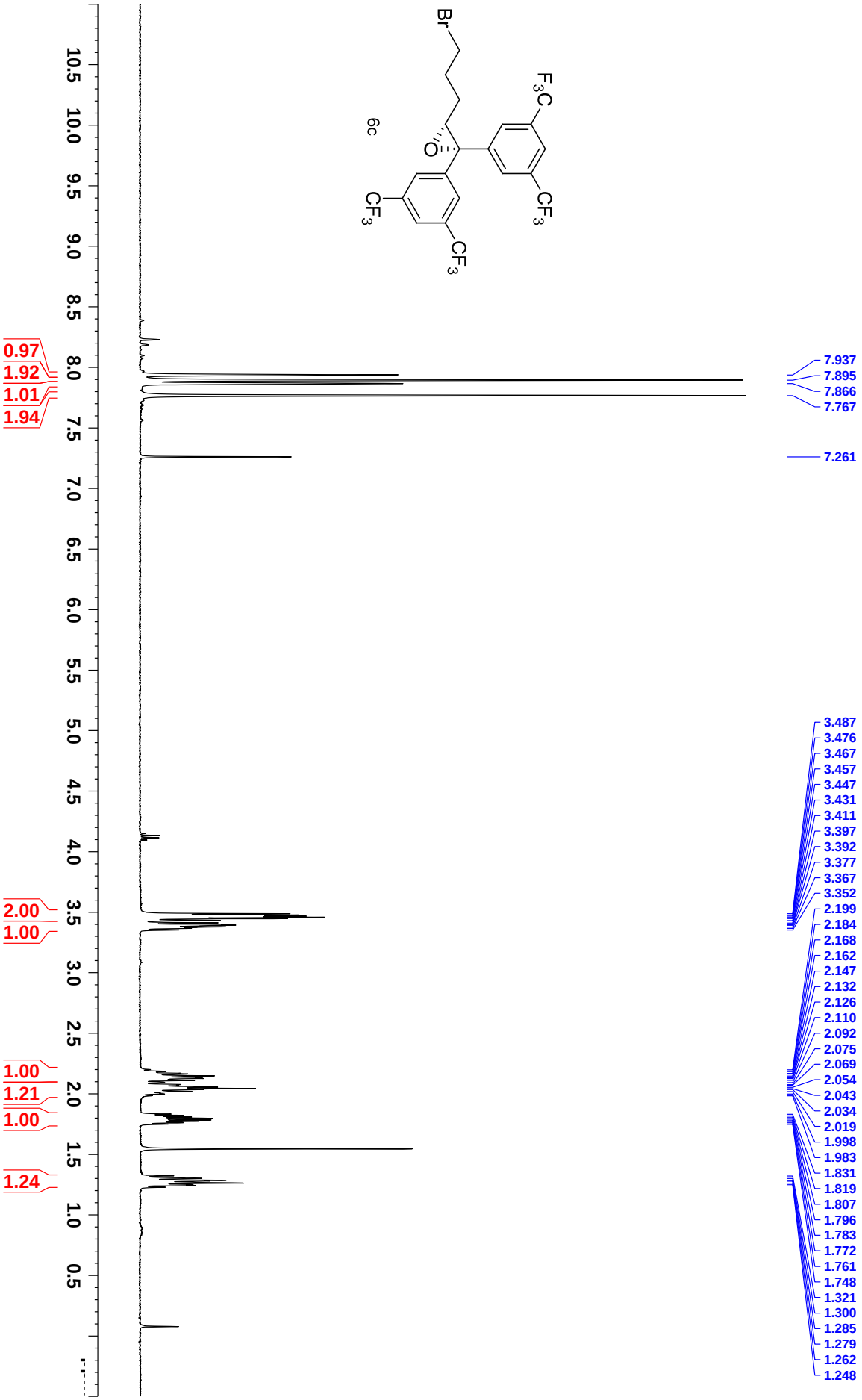
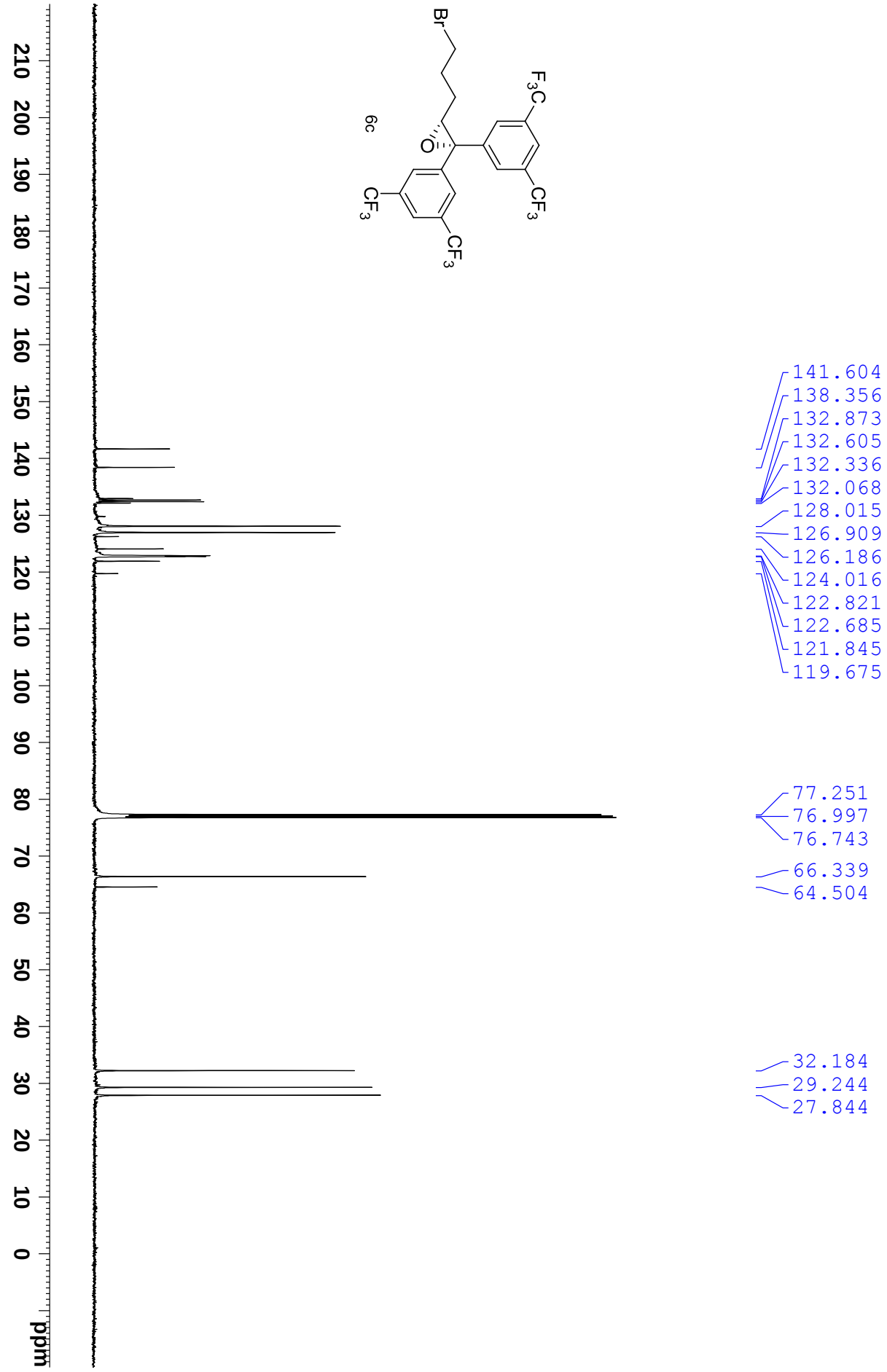
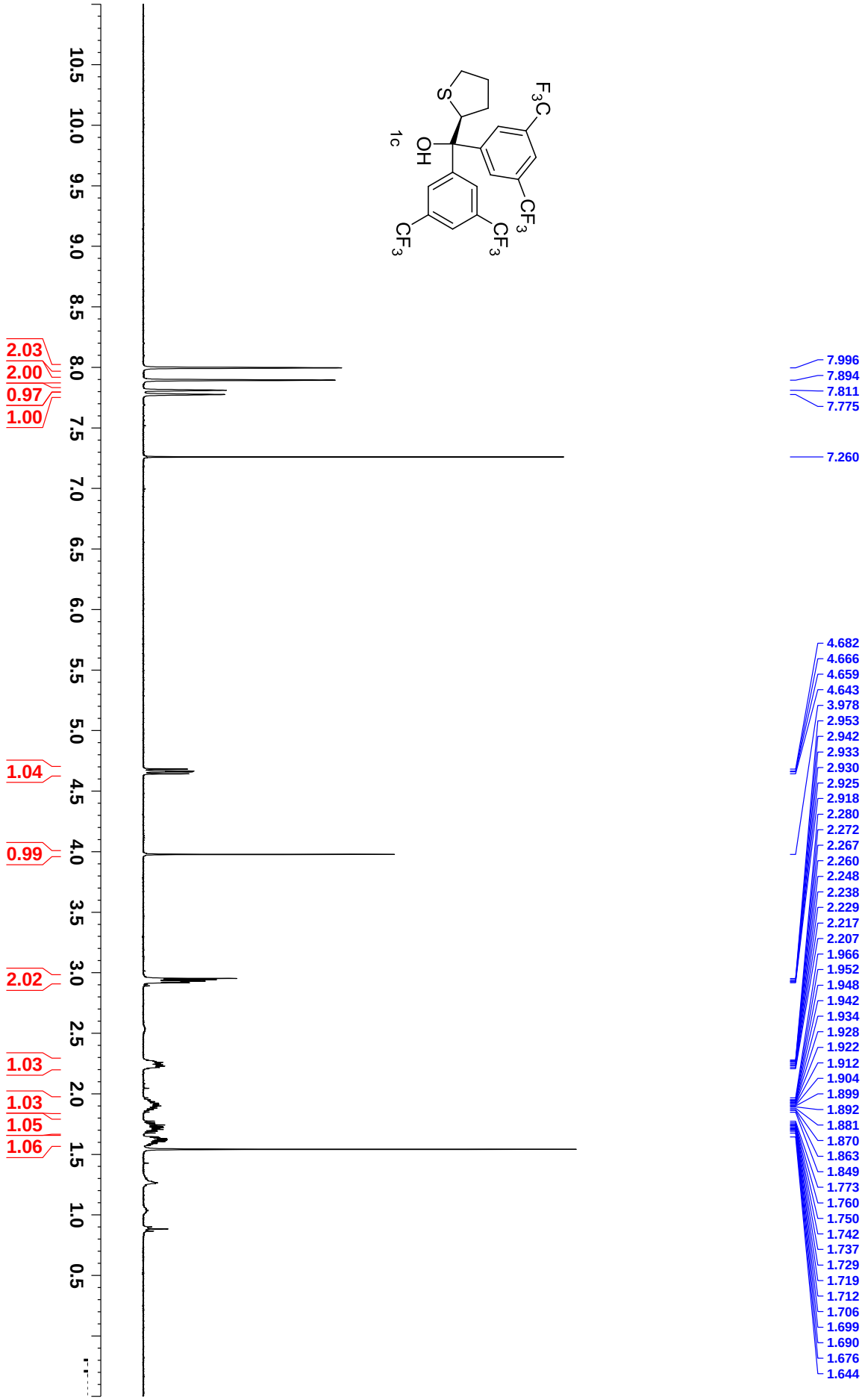
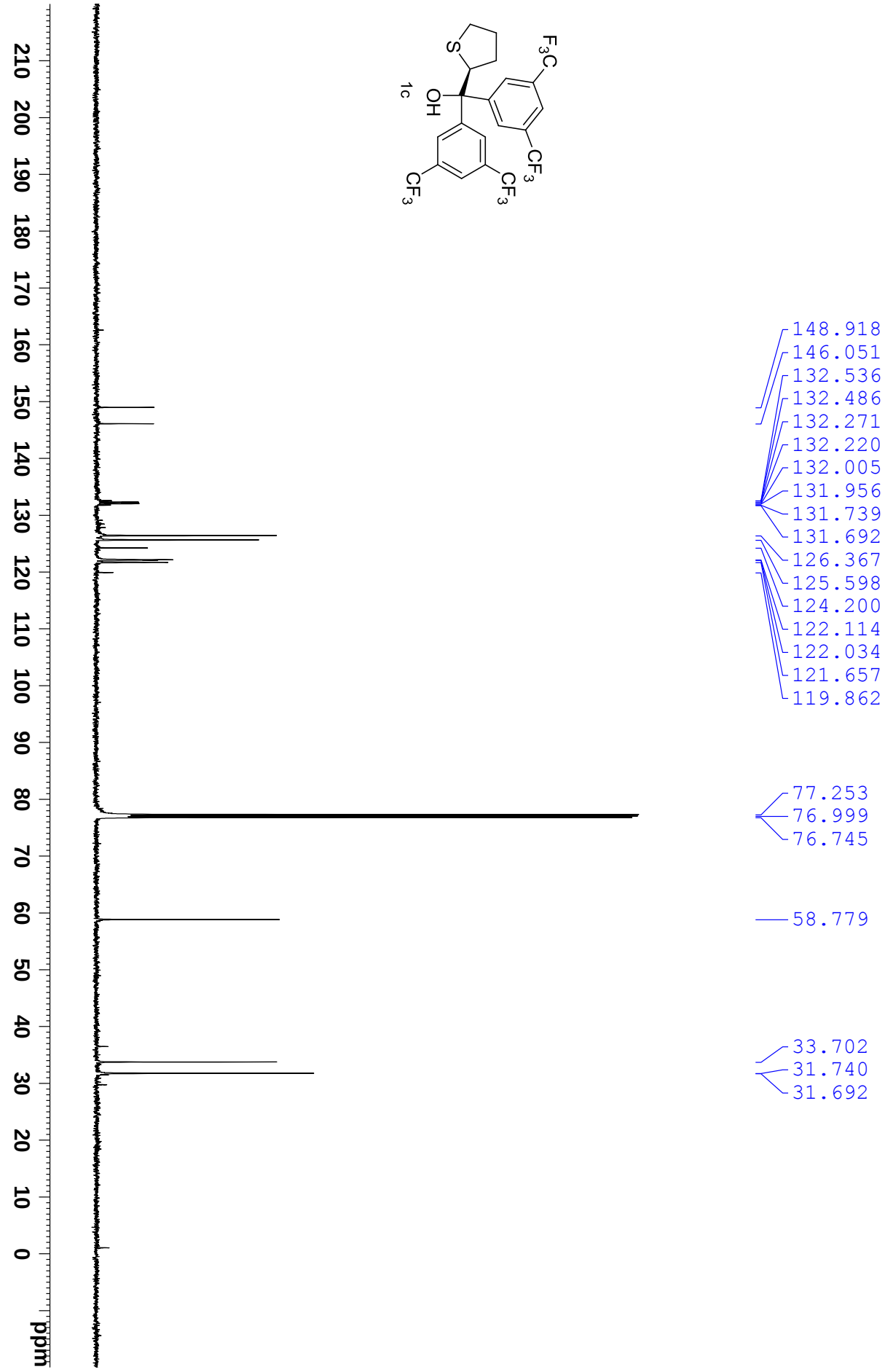
2.087
2.071
2.067
2.051
2.034

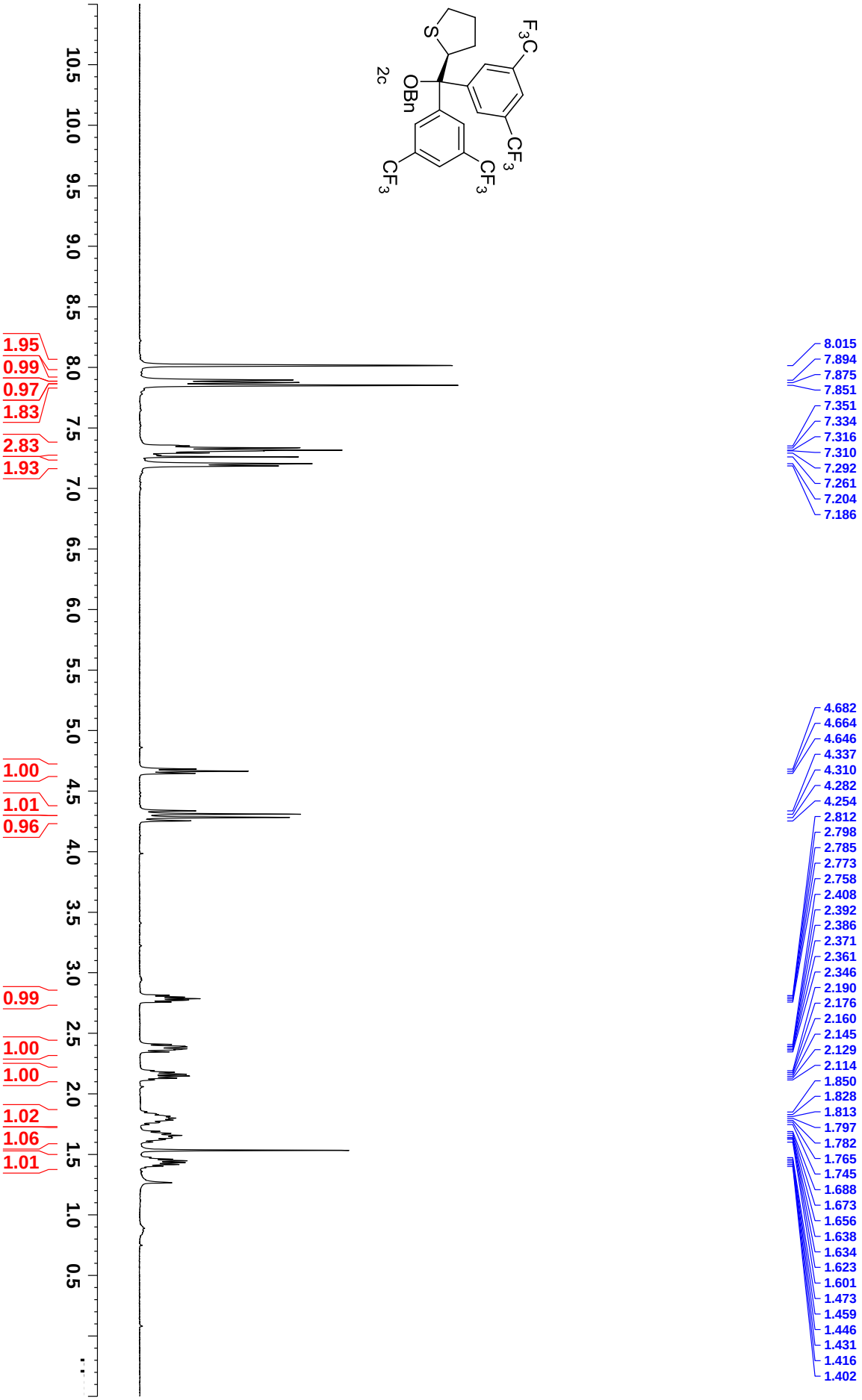


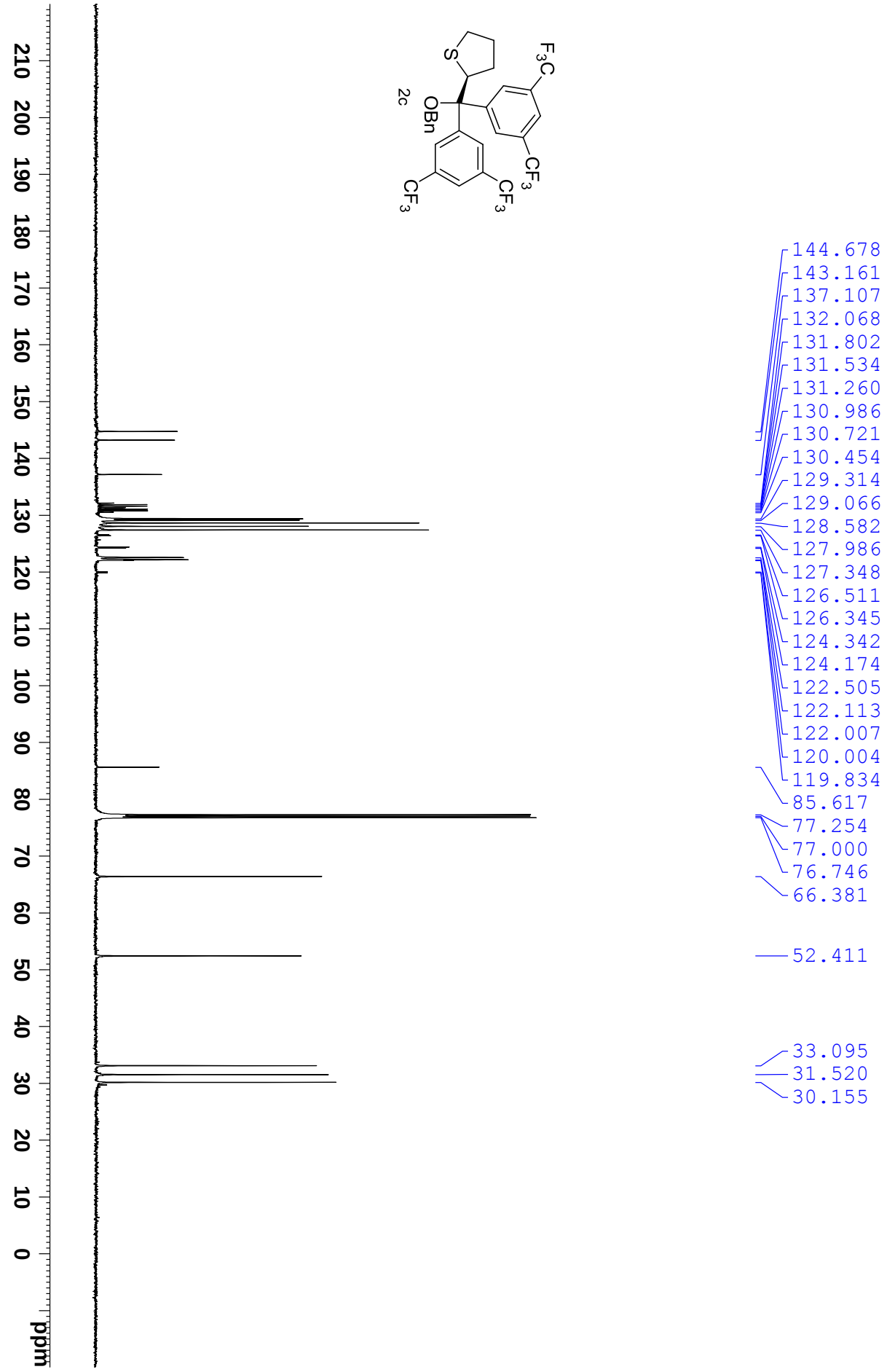

Br
F3C
6c
O
CF3
CF3
CF3
0.97
1.92
1.01
1.94
2.00
1.00
1.00
1.21
1.00
1.24
7.937
7.895
7.866
7.767
7.261
3.487
3.476
3.467
3.457
3.447
3.431
3.411
3.397
3.392
3.377
3.367
3.352
2.199
2.184
2.168
2.162
2.147
2.132
2.126
2.110
2.092
2.075
2.069
2.054
2.043
2.034
2.019
1.998
1.983
1.831
1.819
1.807
1.796
1.783
1.772
1.761
1.748
1.321
1.300
1.285
1.279
1.262
1.248










2c
OBn
S
F3C
CF3
CF3
CF3
144.678
143.161
137.107
132.068
131.802
131.534
131.260
130.986
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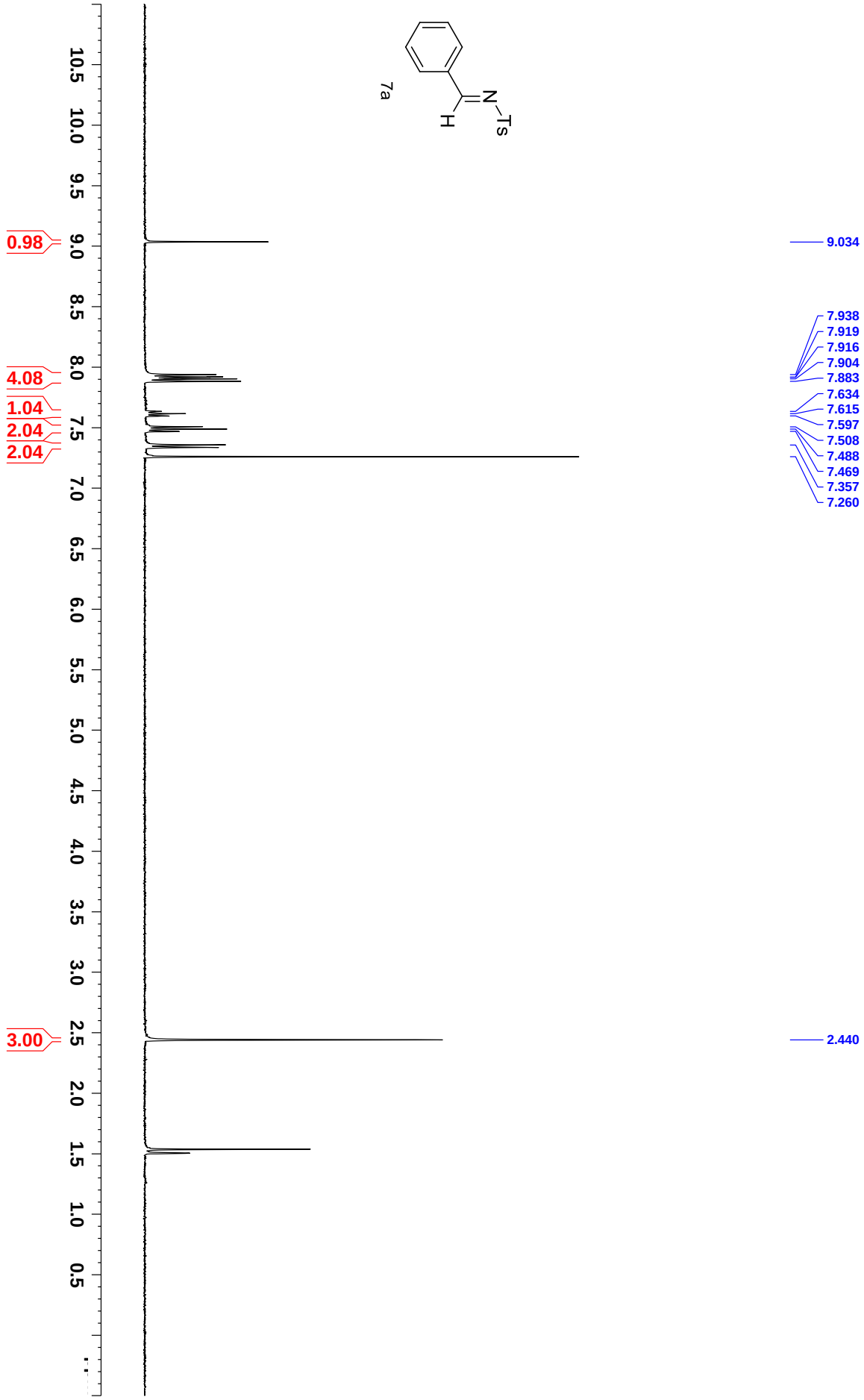

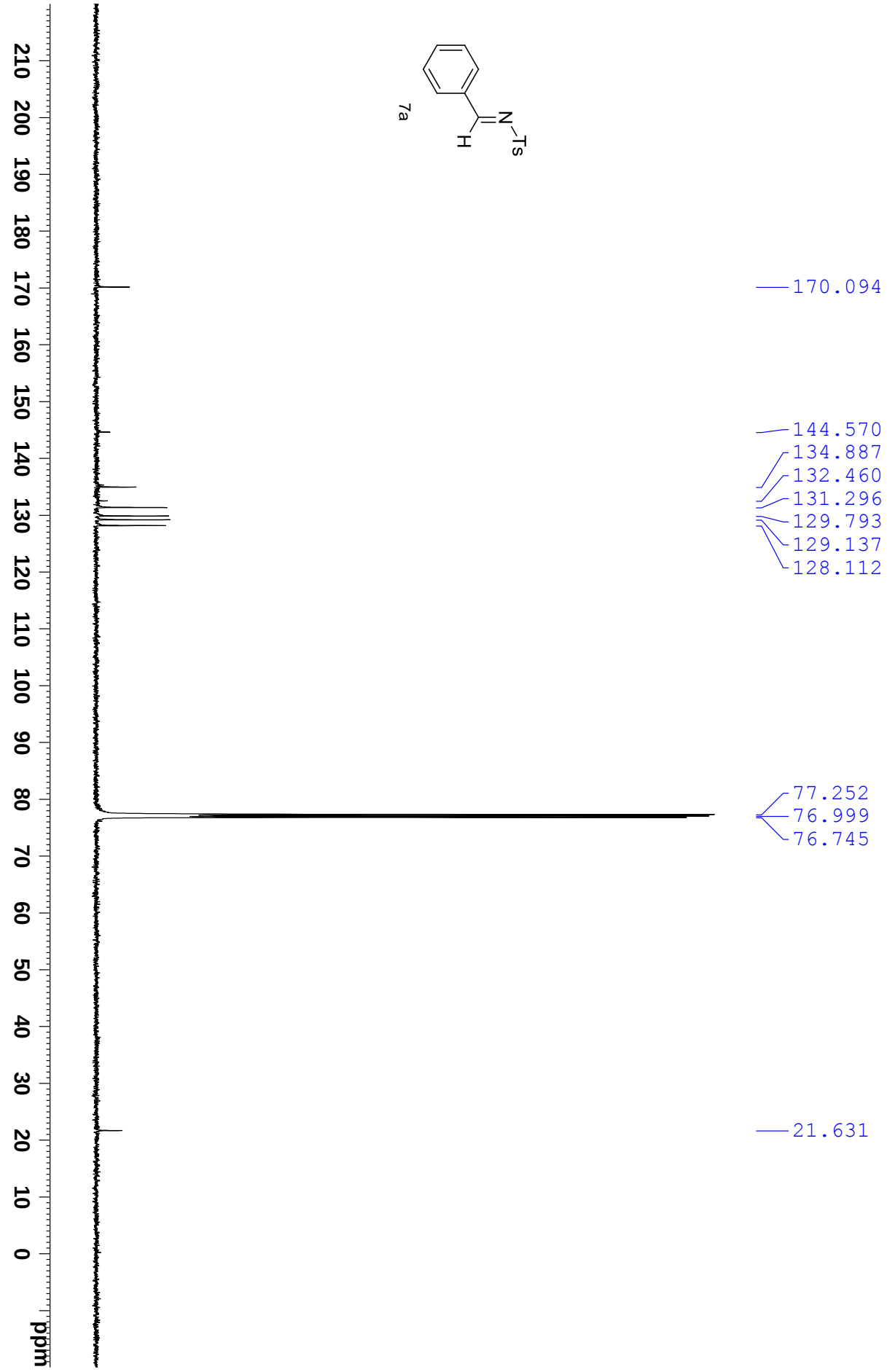
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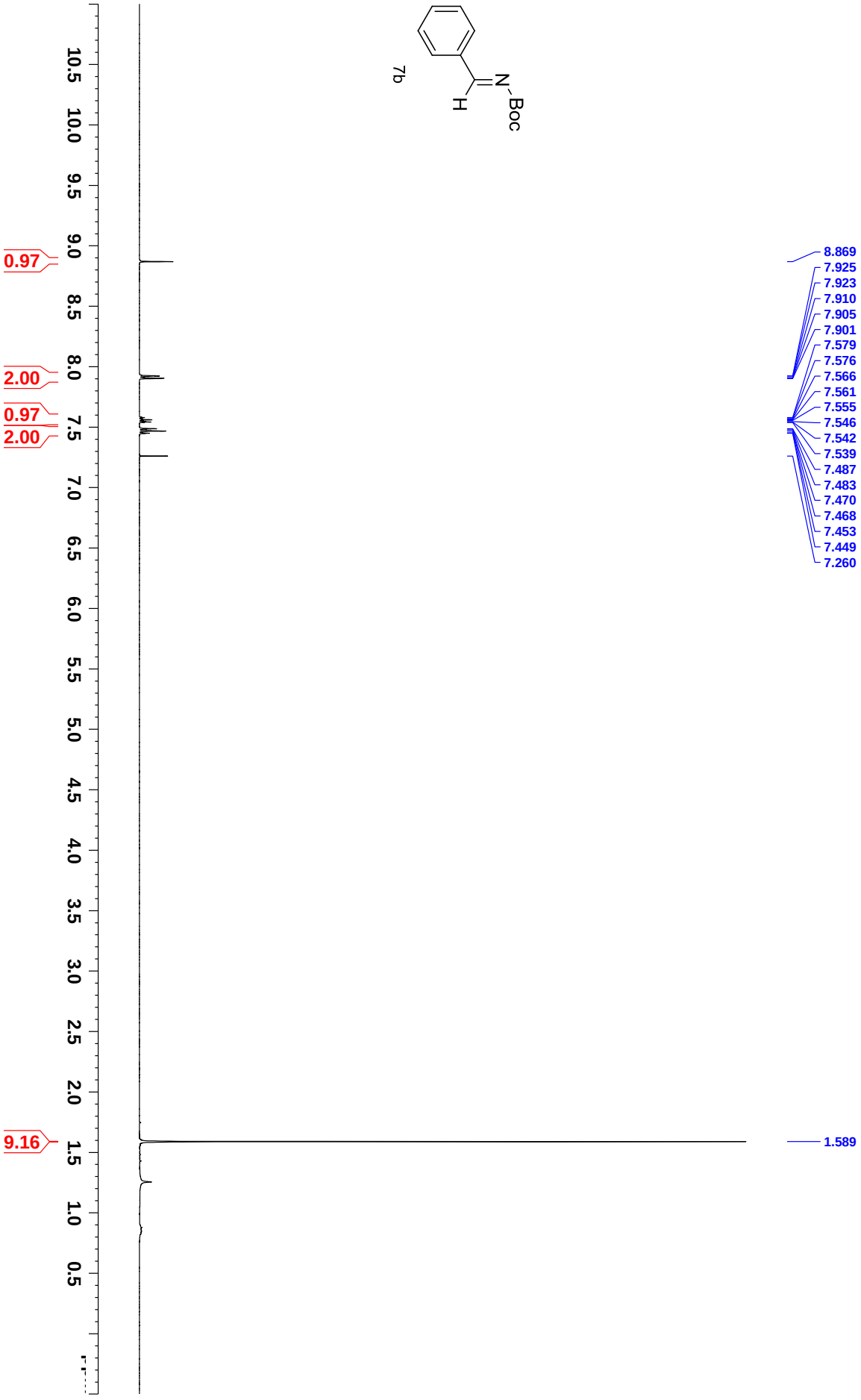

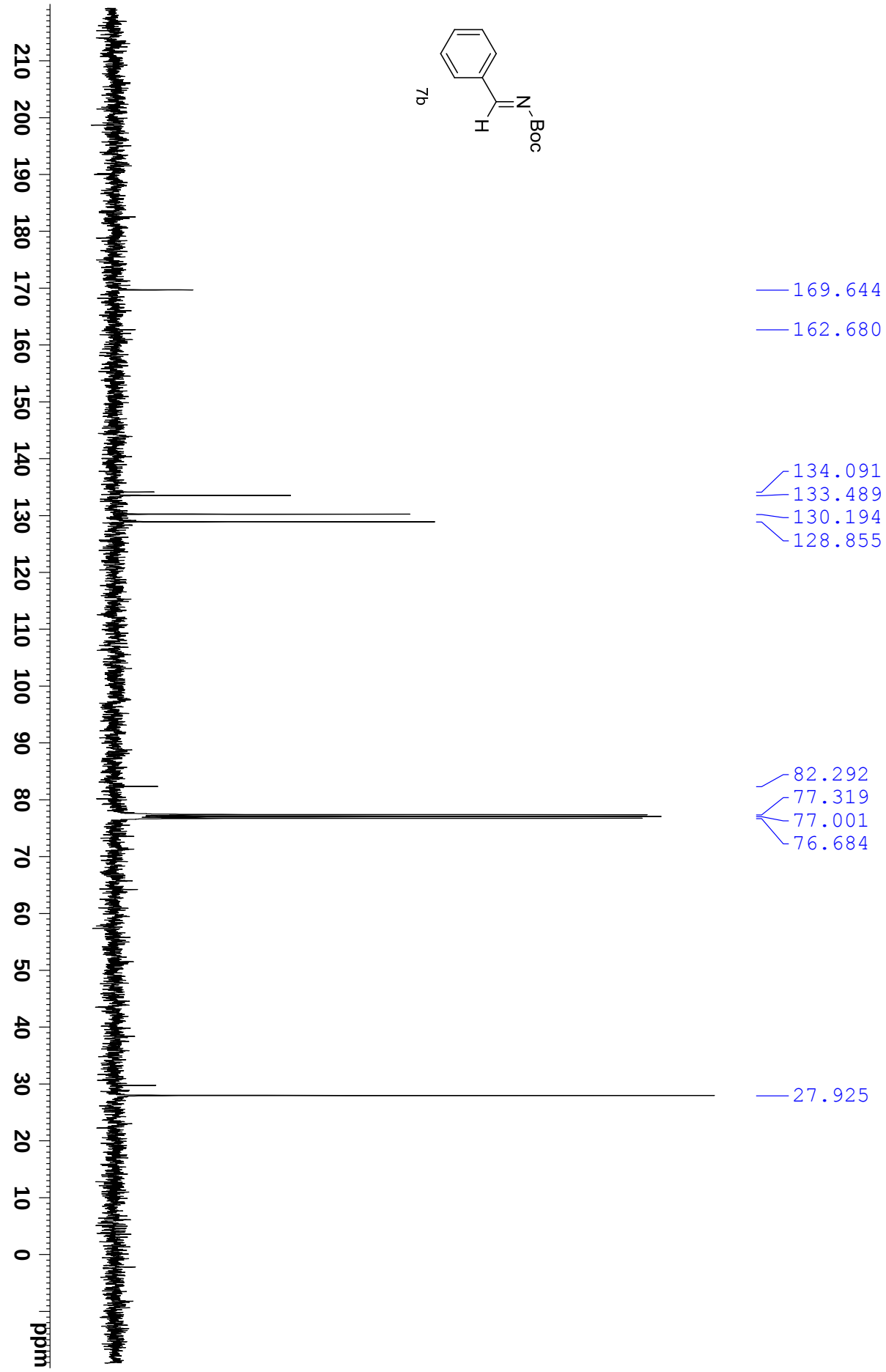

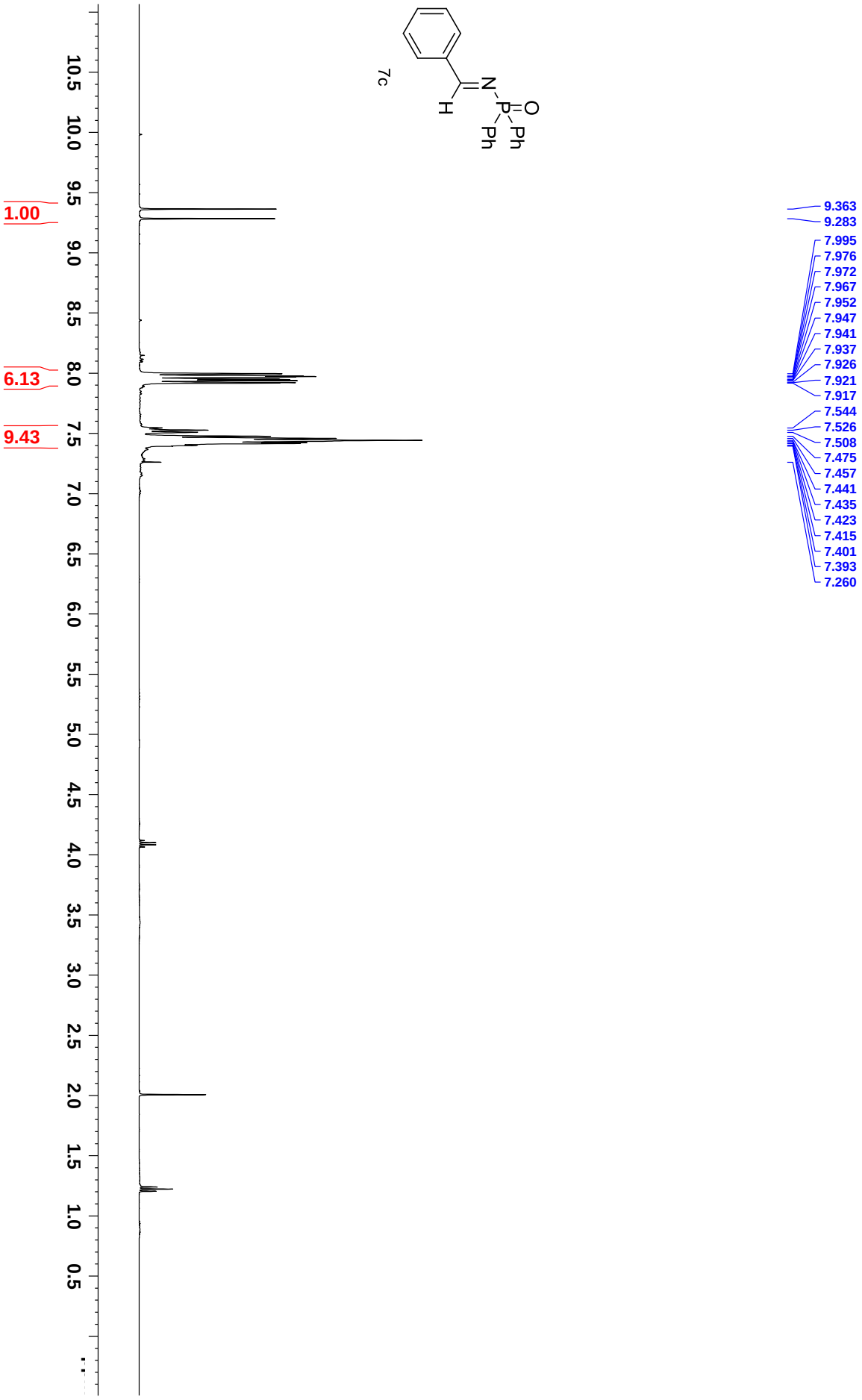
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Ph
Ph
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7.952
7.947
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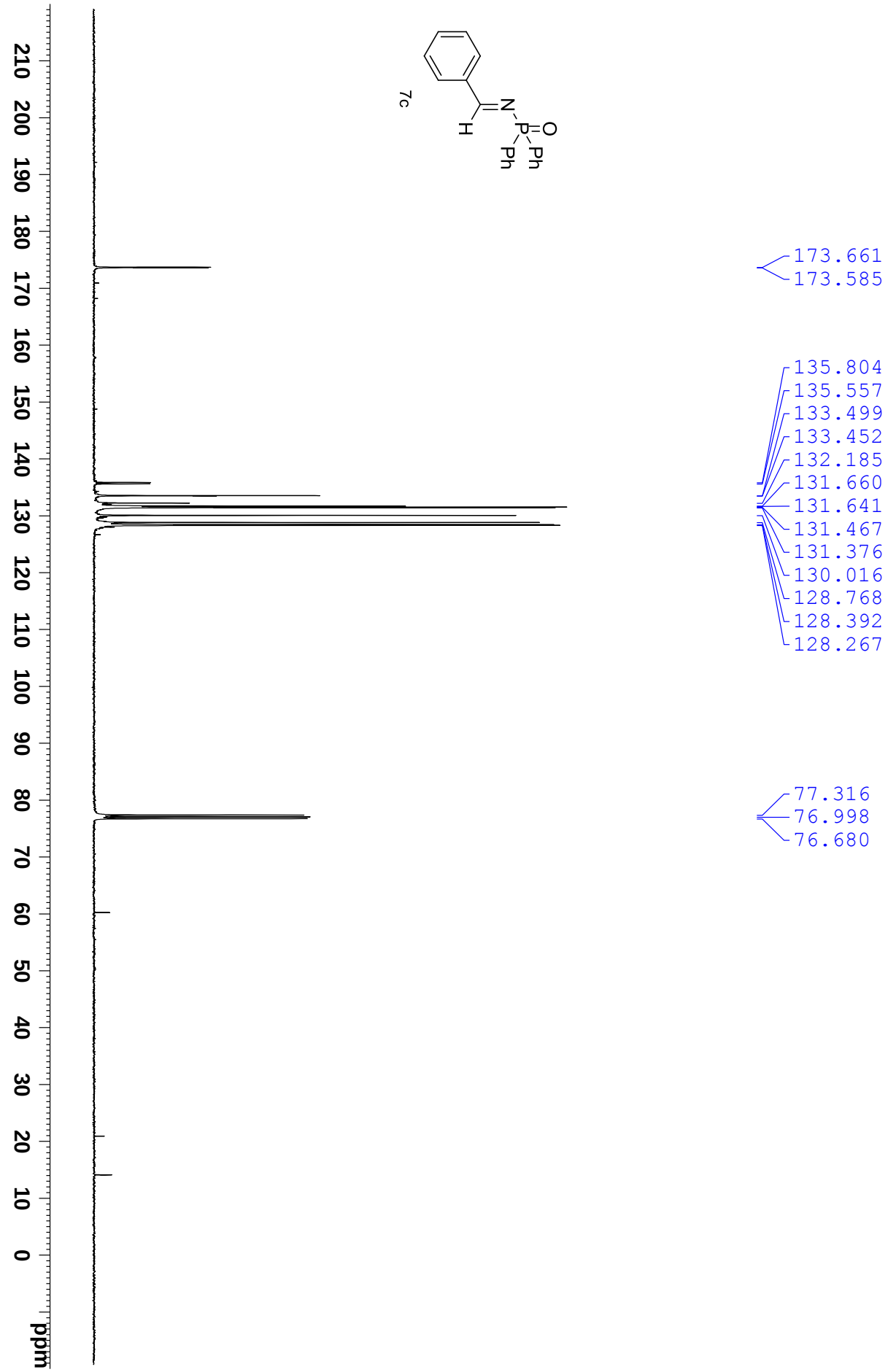
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128.267
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76.998
76.680
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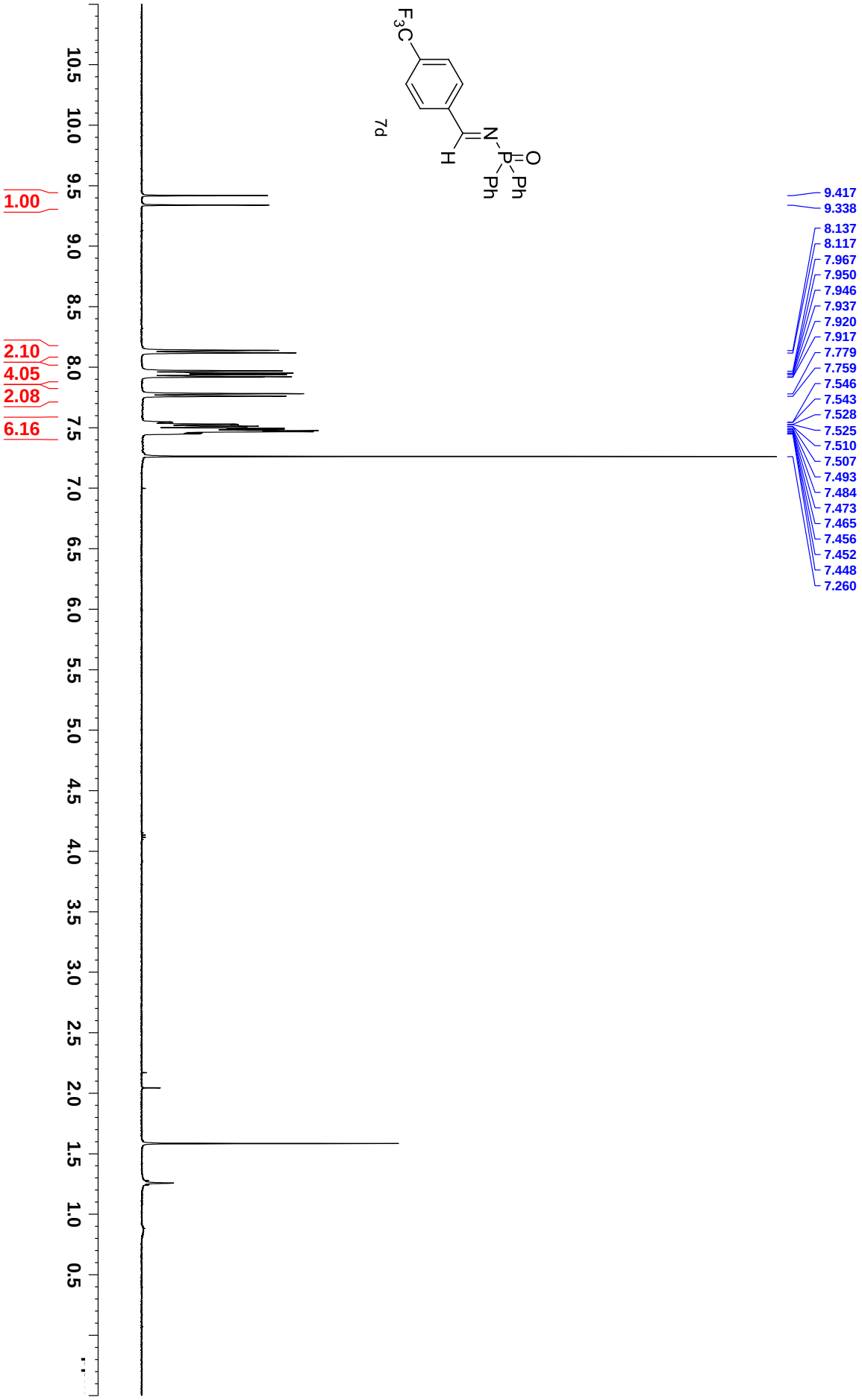
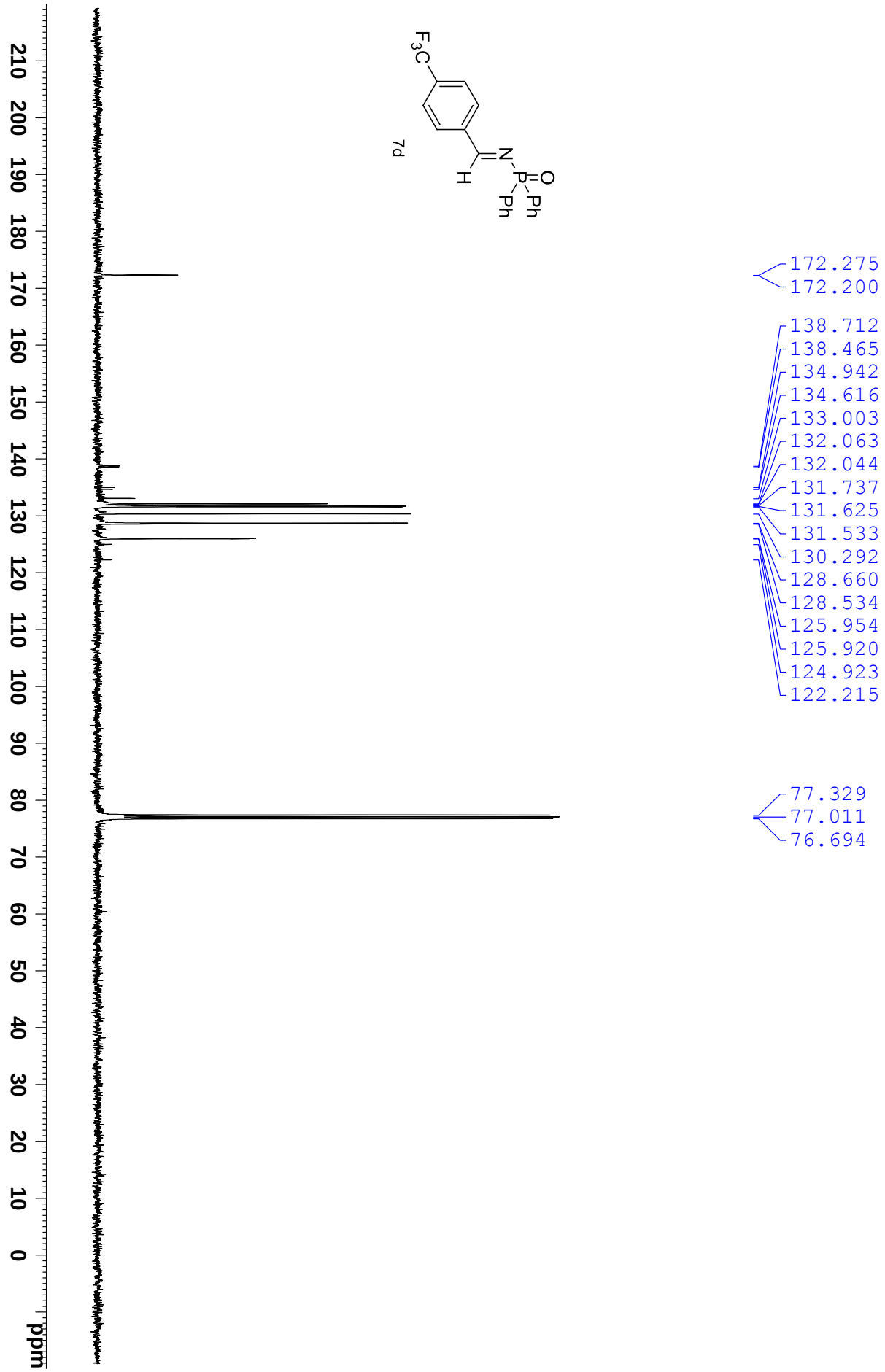
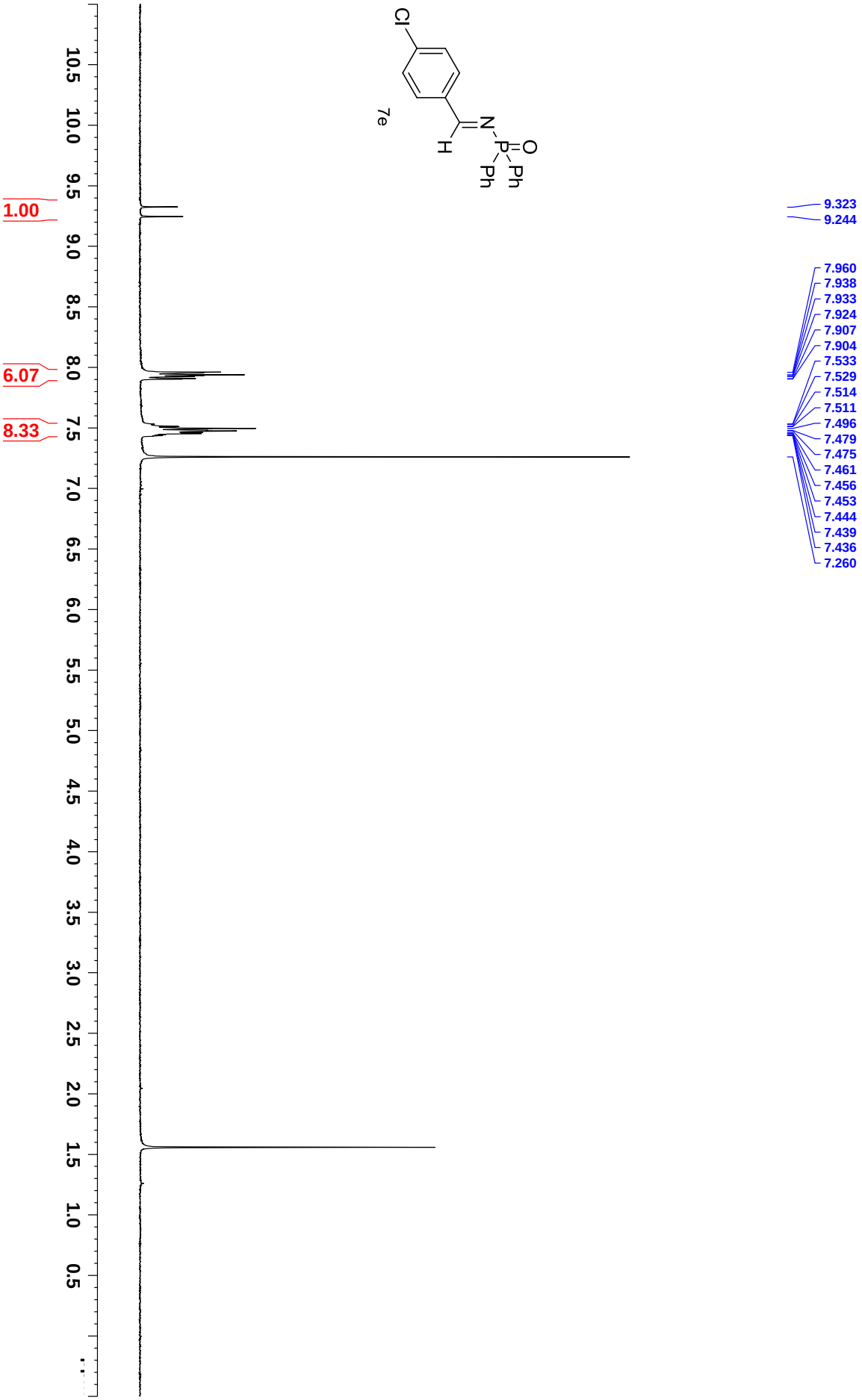
ppm



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H
P
O
Ph
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7.933
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7.907
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7.514
7.511
7.496
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7.475
7.461
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7.453
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7.439
7.436
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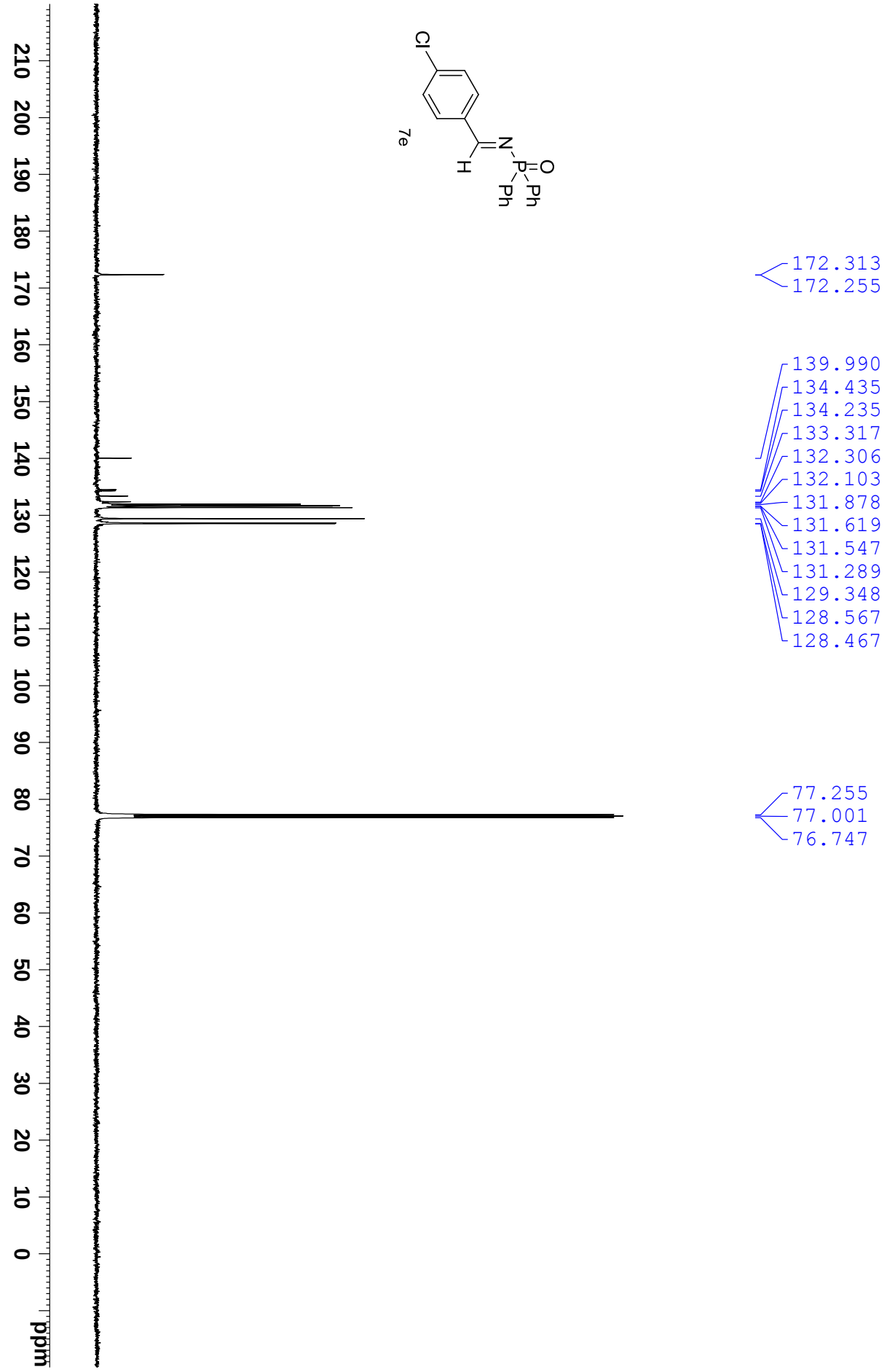
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76.747
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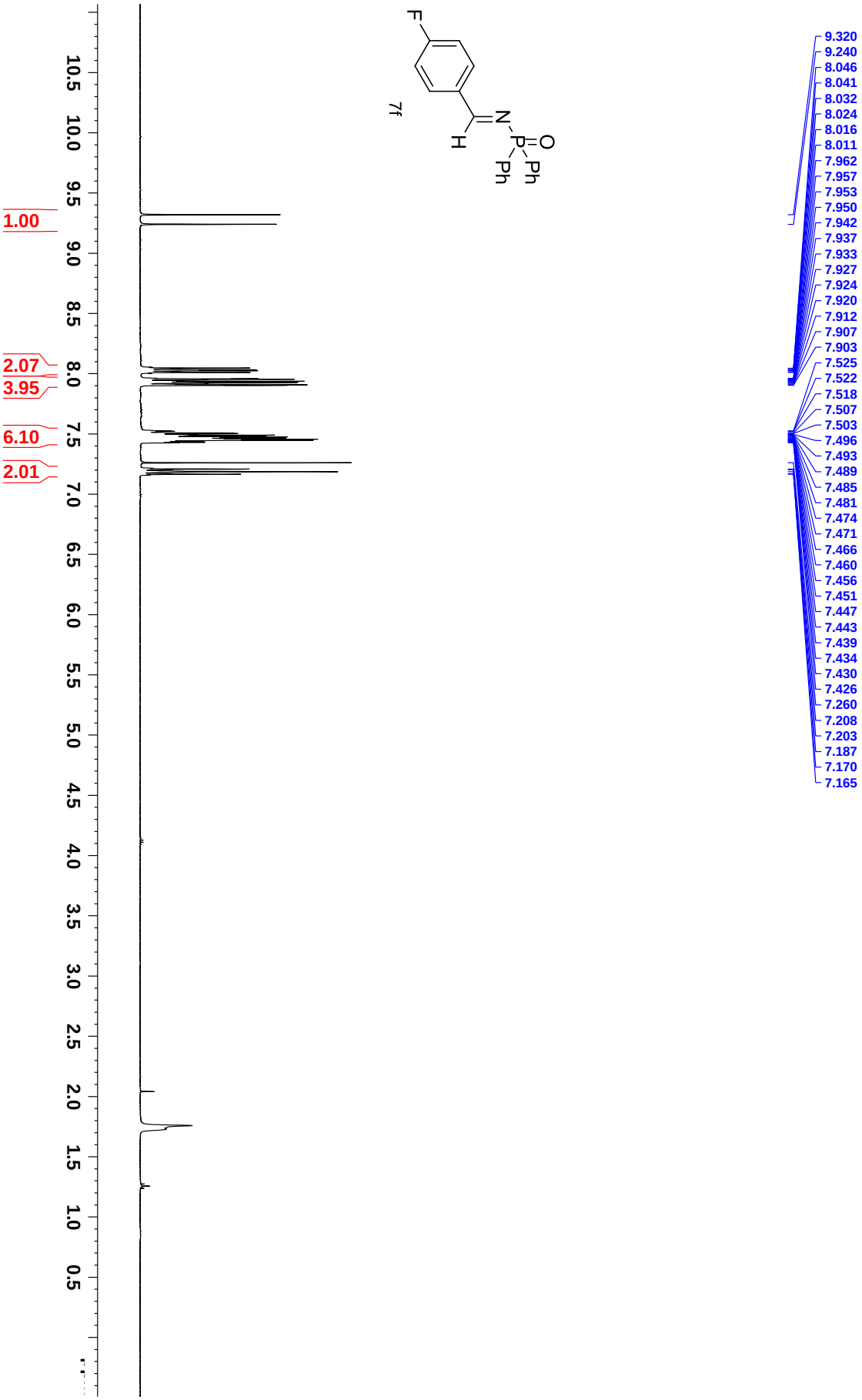
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N
P
O
Ph
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2.07
3.95
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2.01
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8.041
8.032
8.024
8.016
8.011
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7.957
7.953
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7.942
7.937
7.933
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7.920
7.912
7.907
7.903
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7.522
7.518
7.507
7.503
7.496
7.493
7.489
7.485
7.481
7.474
7.471
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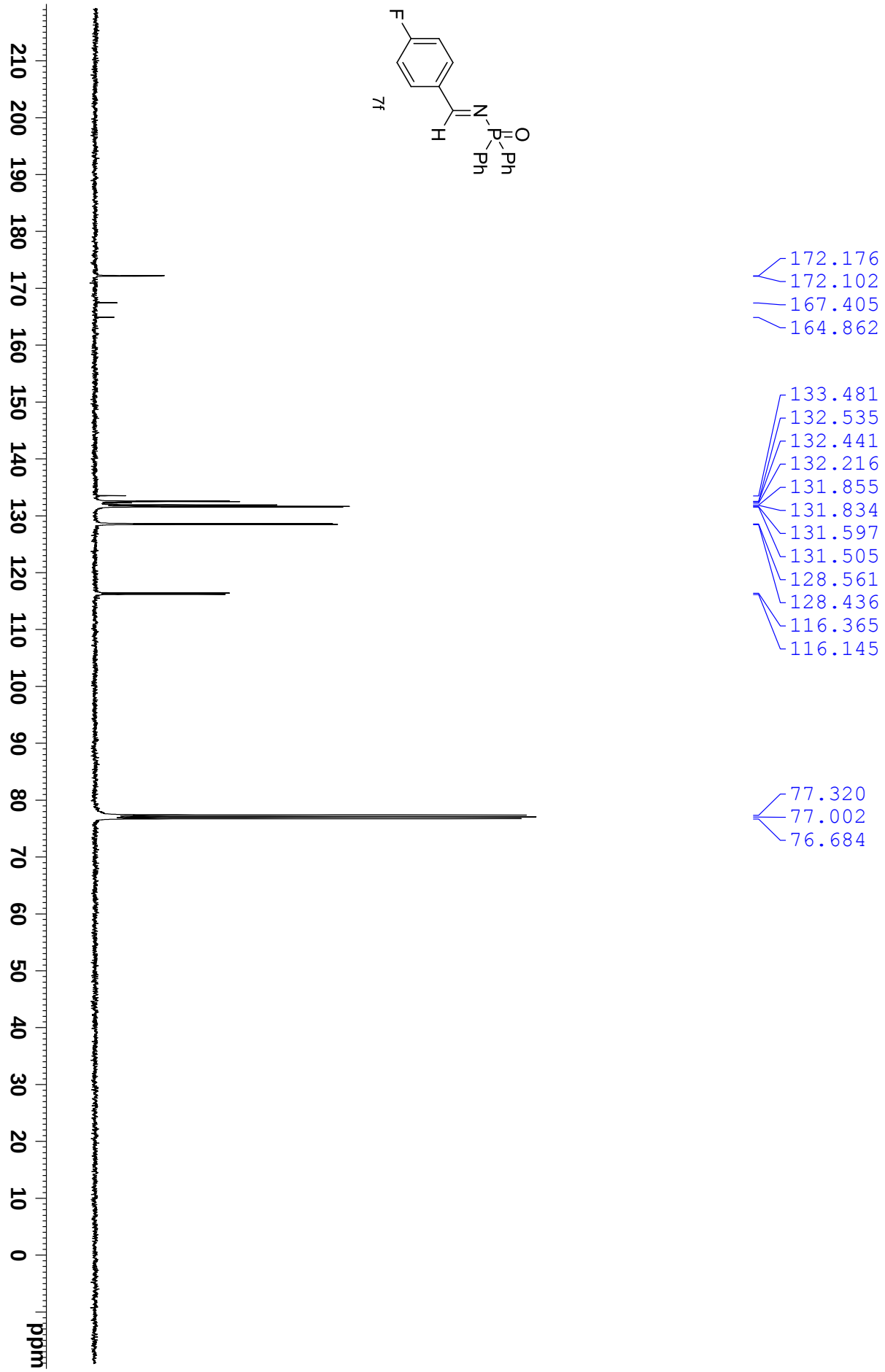
7.165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P
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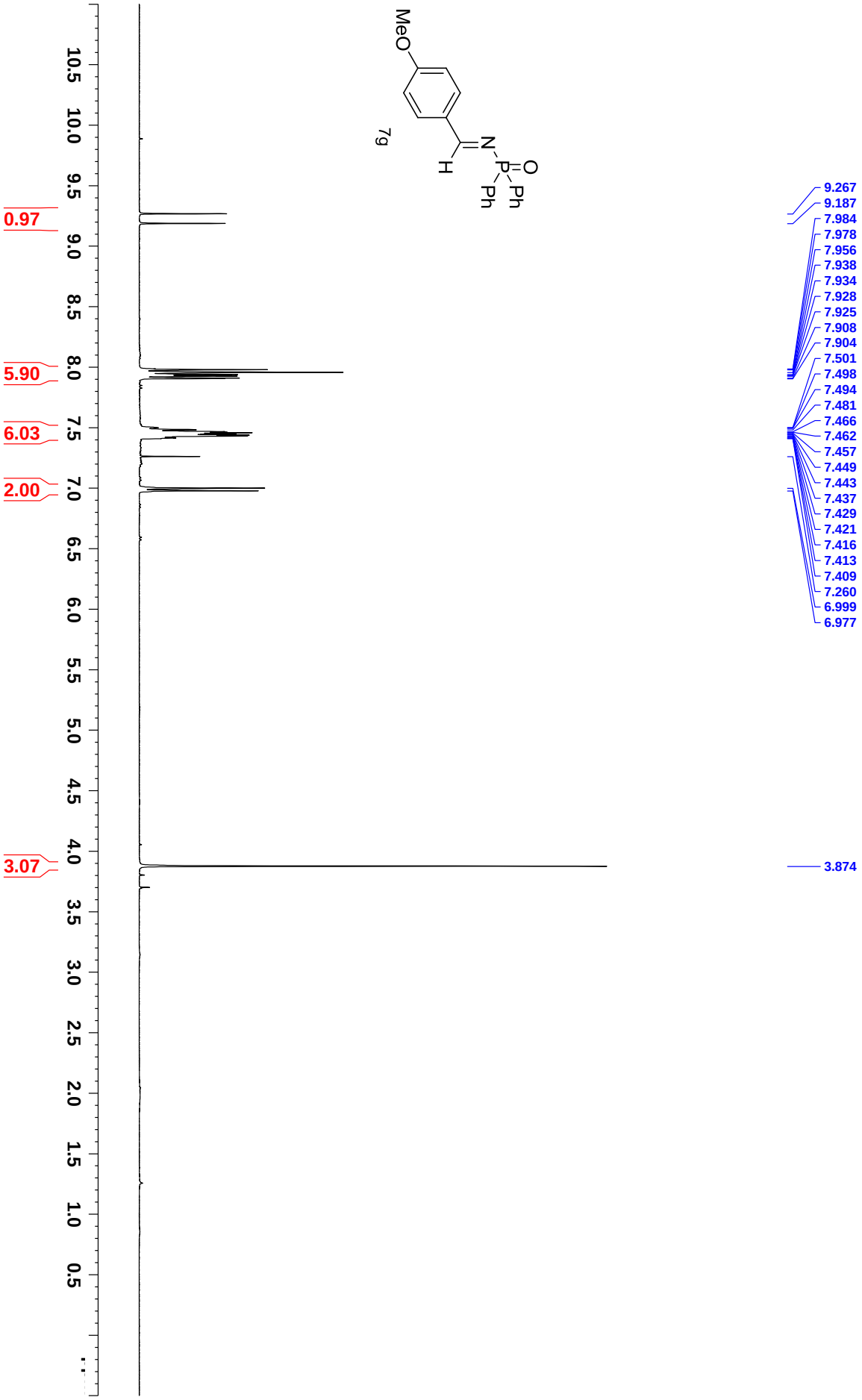
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7.925
7.908
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9.0
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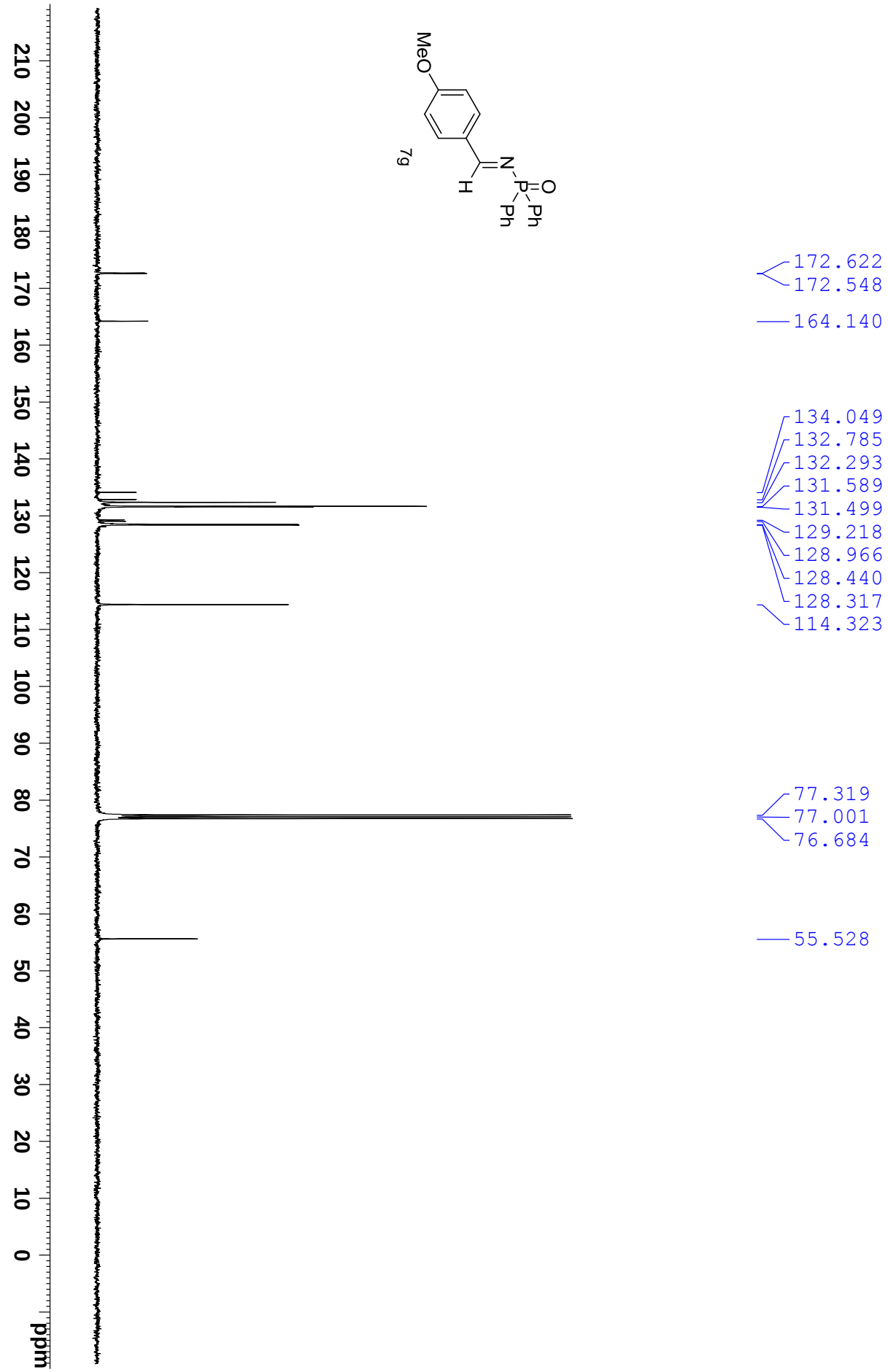
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200
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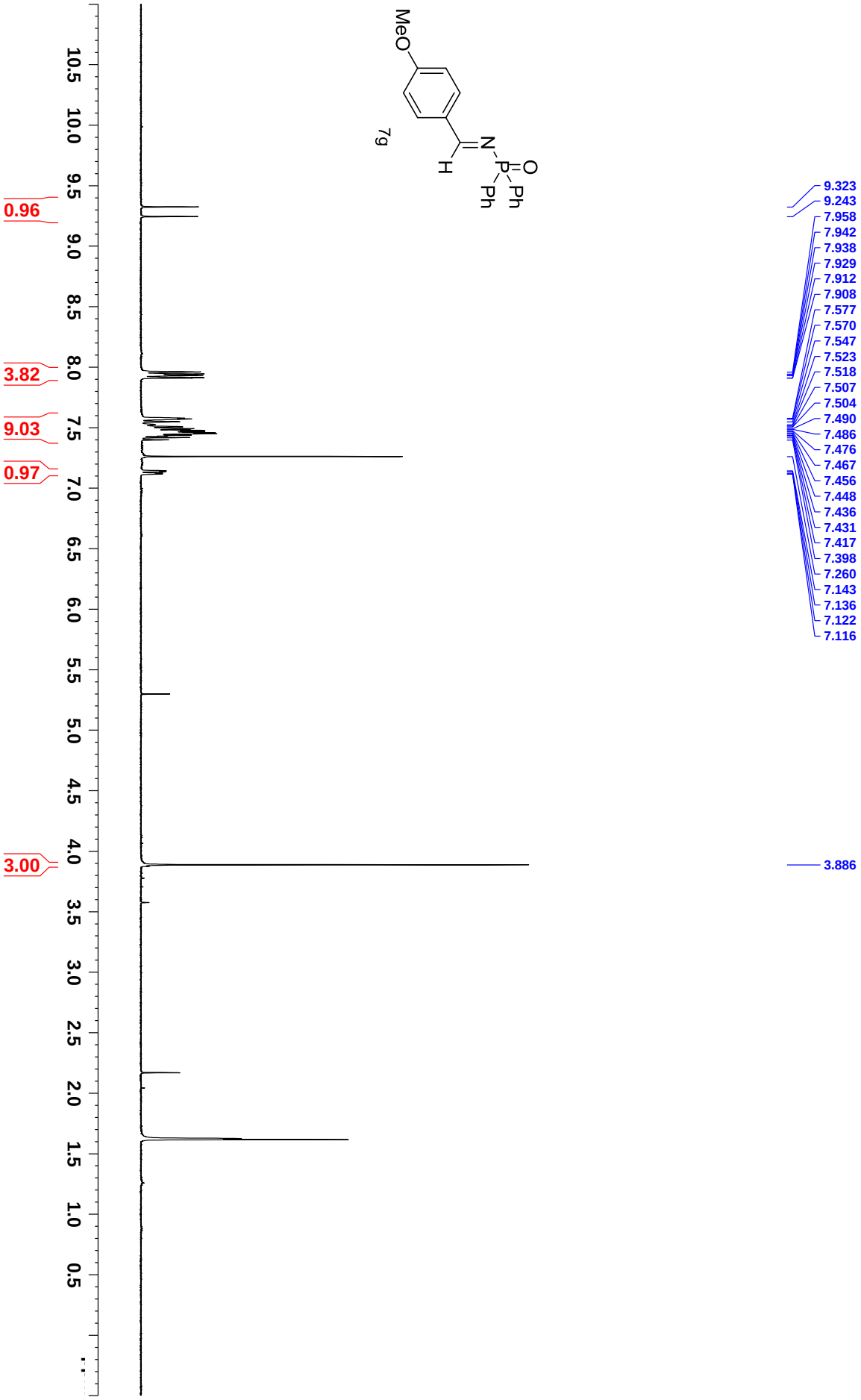
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7.486
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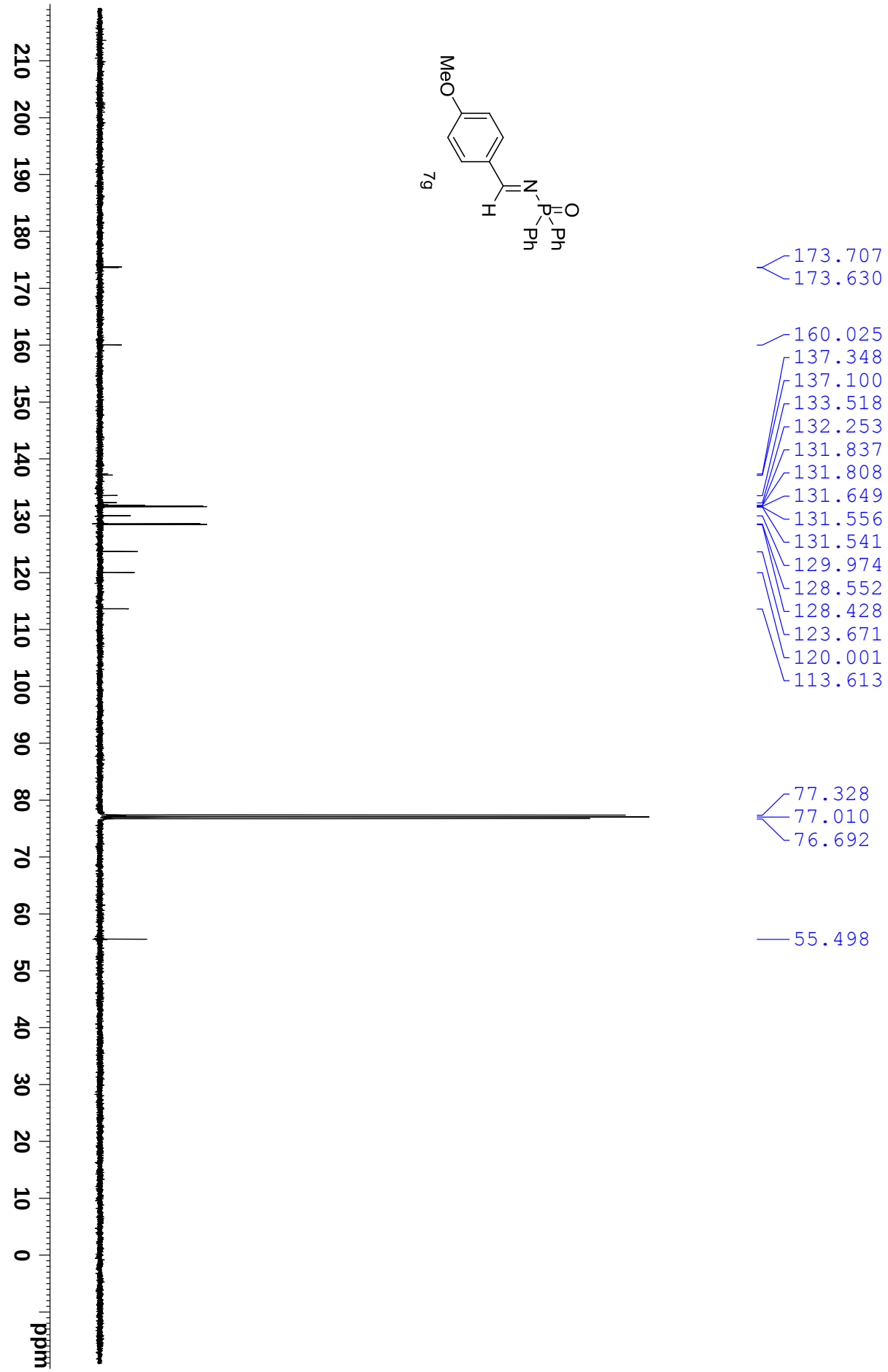
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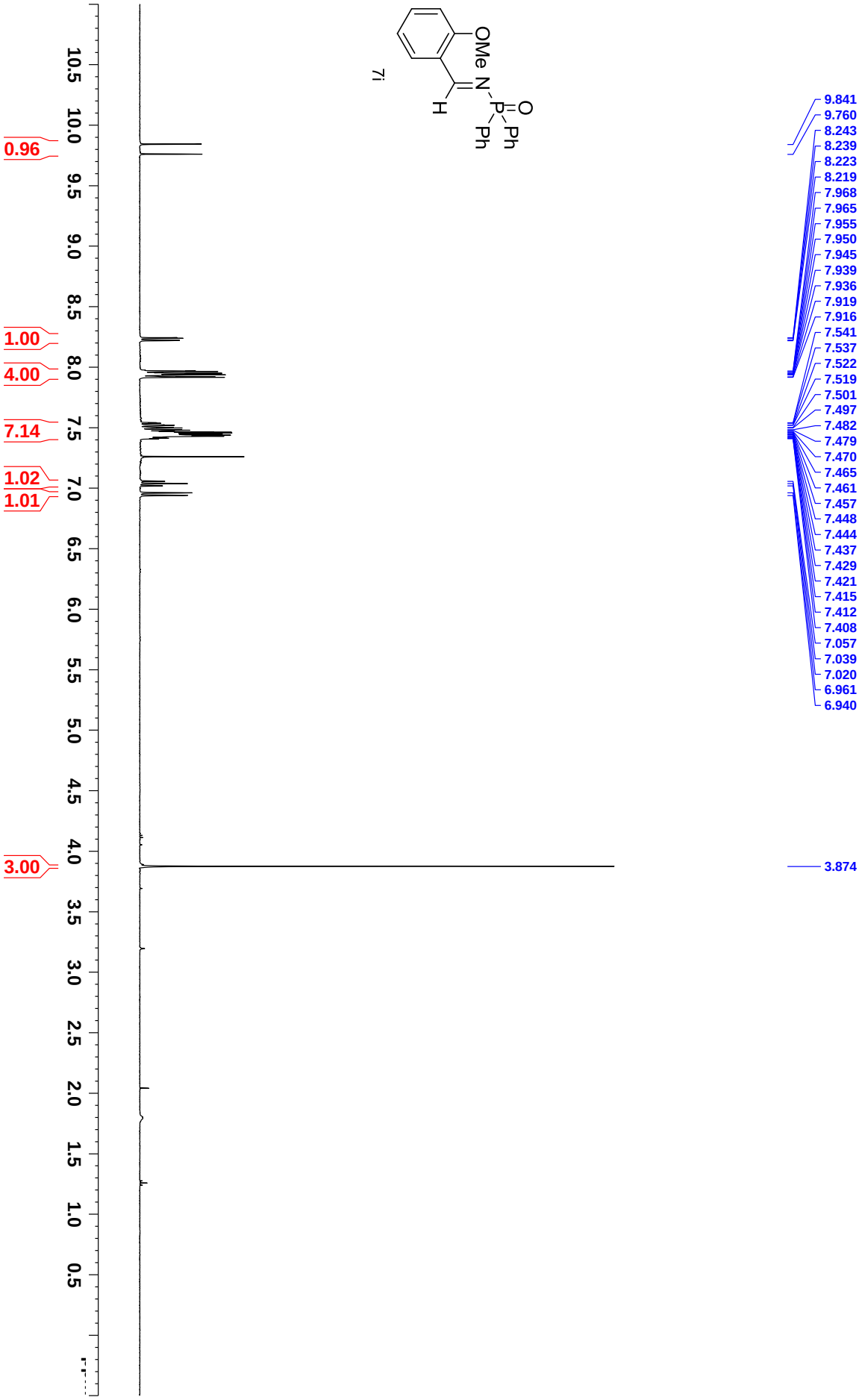
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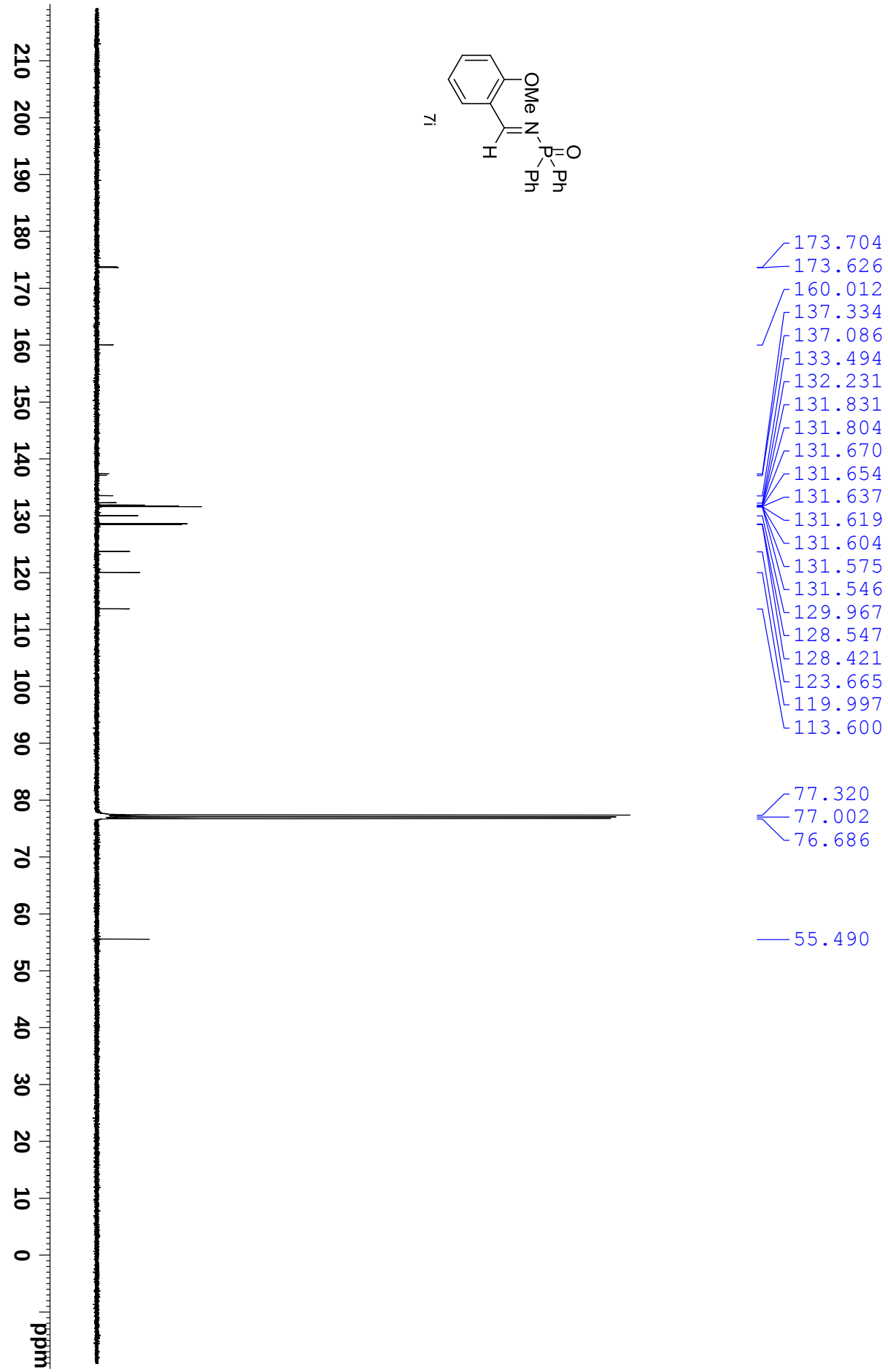
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50
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20
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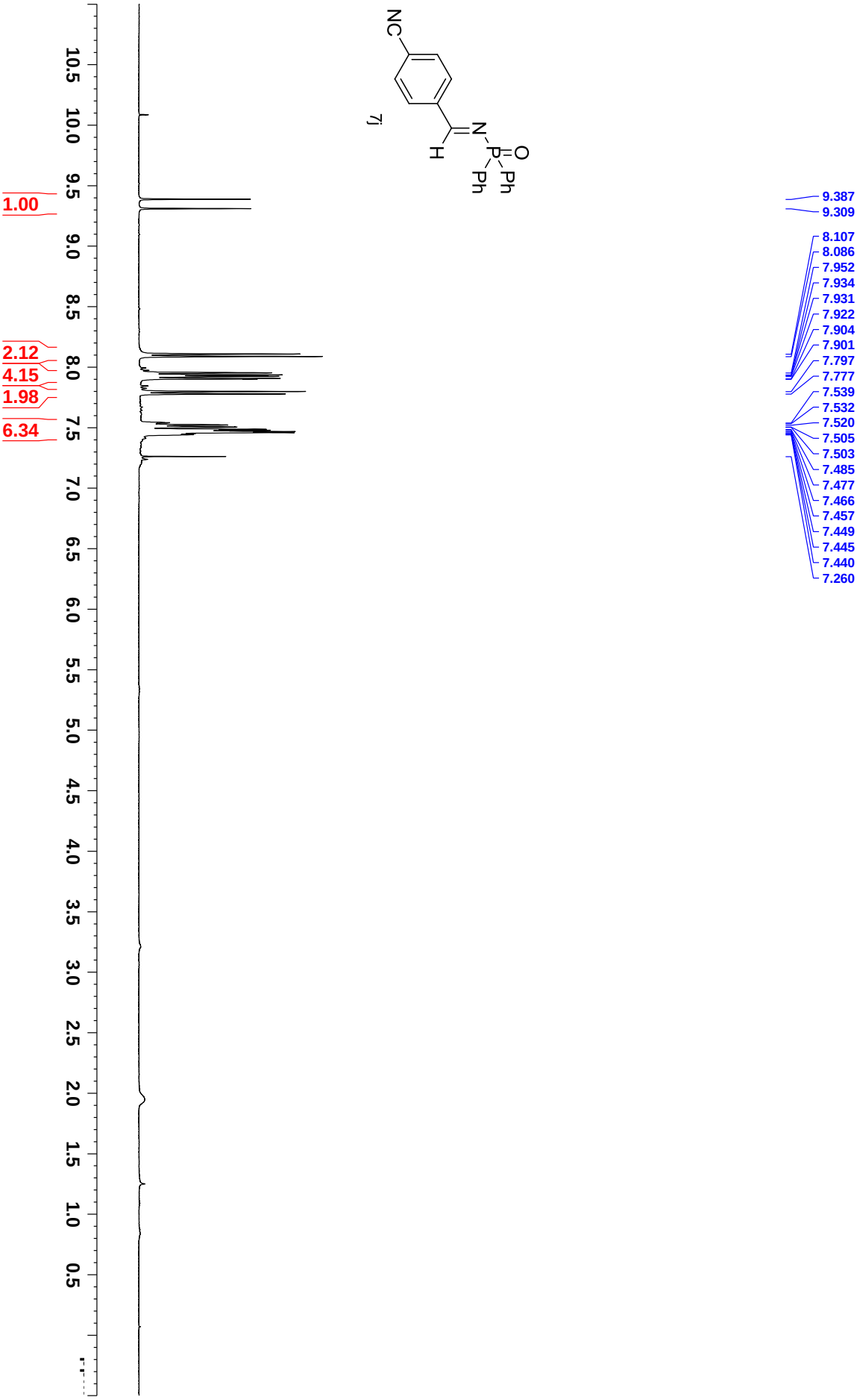
0
ppm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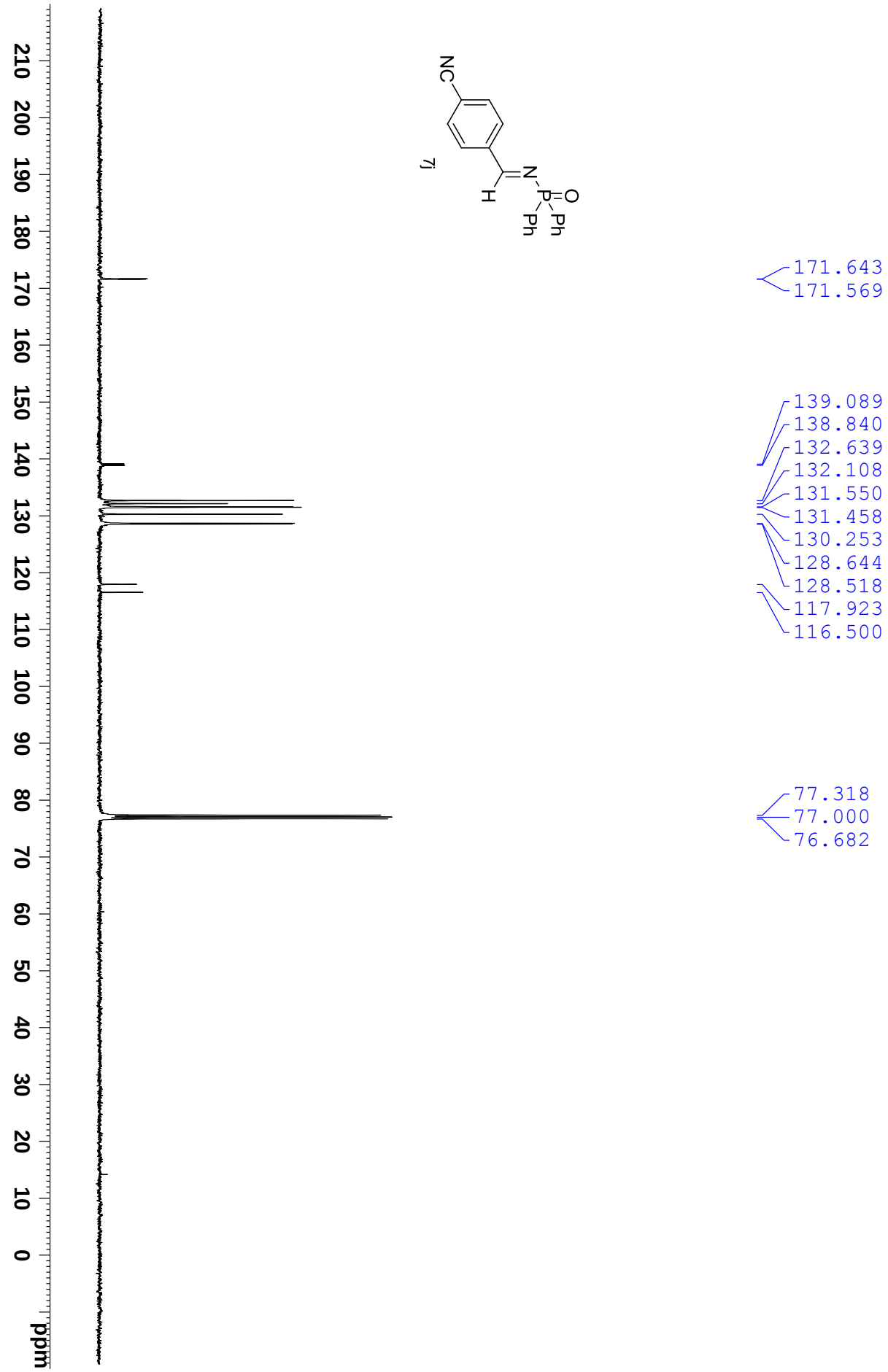
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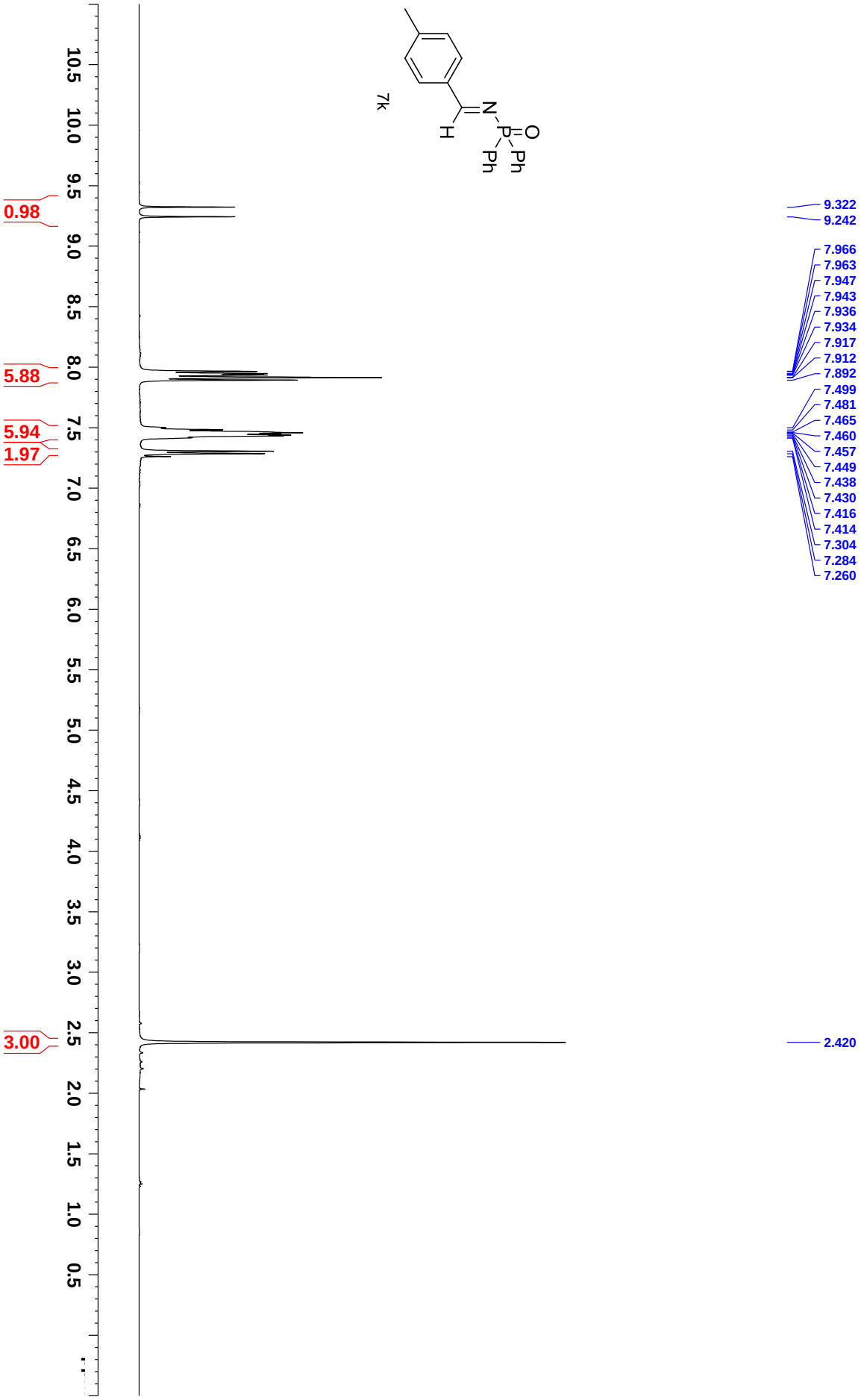
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7.963
7.947
7.943
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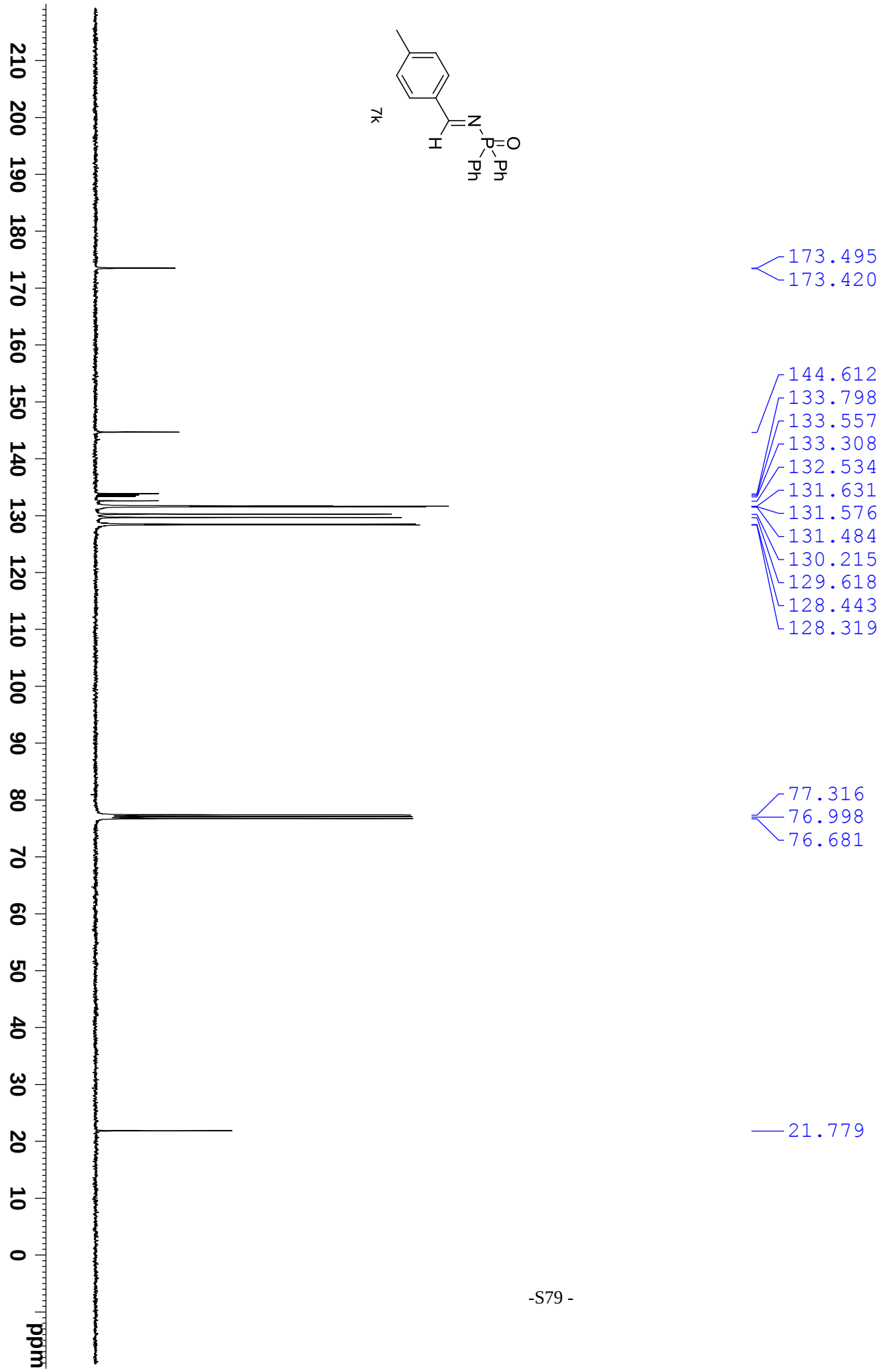
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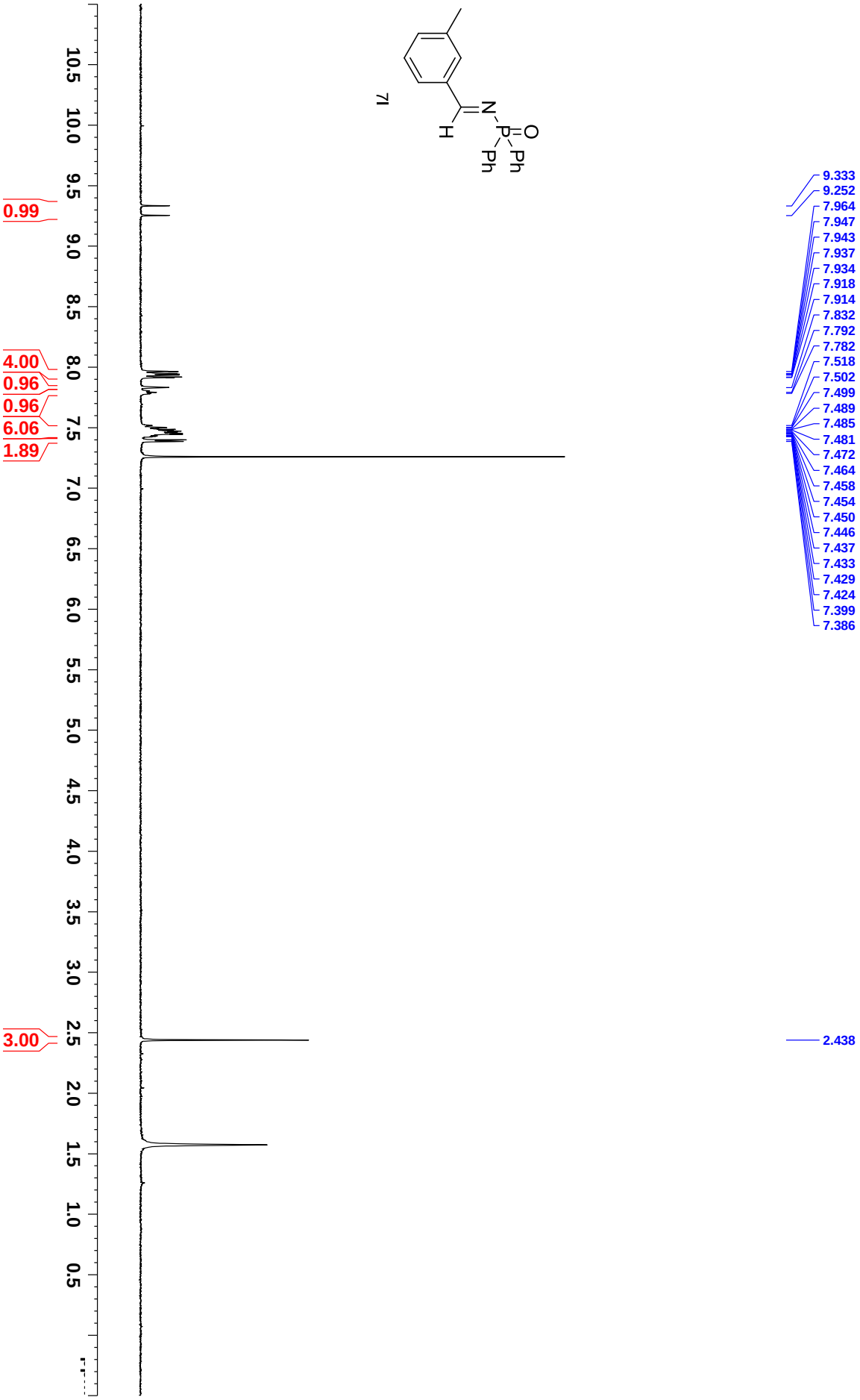

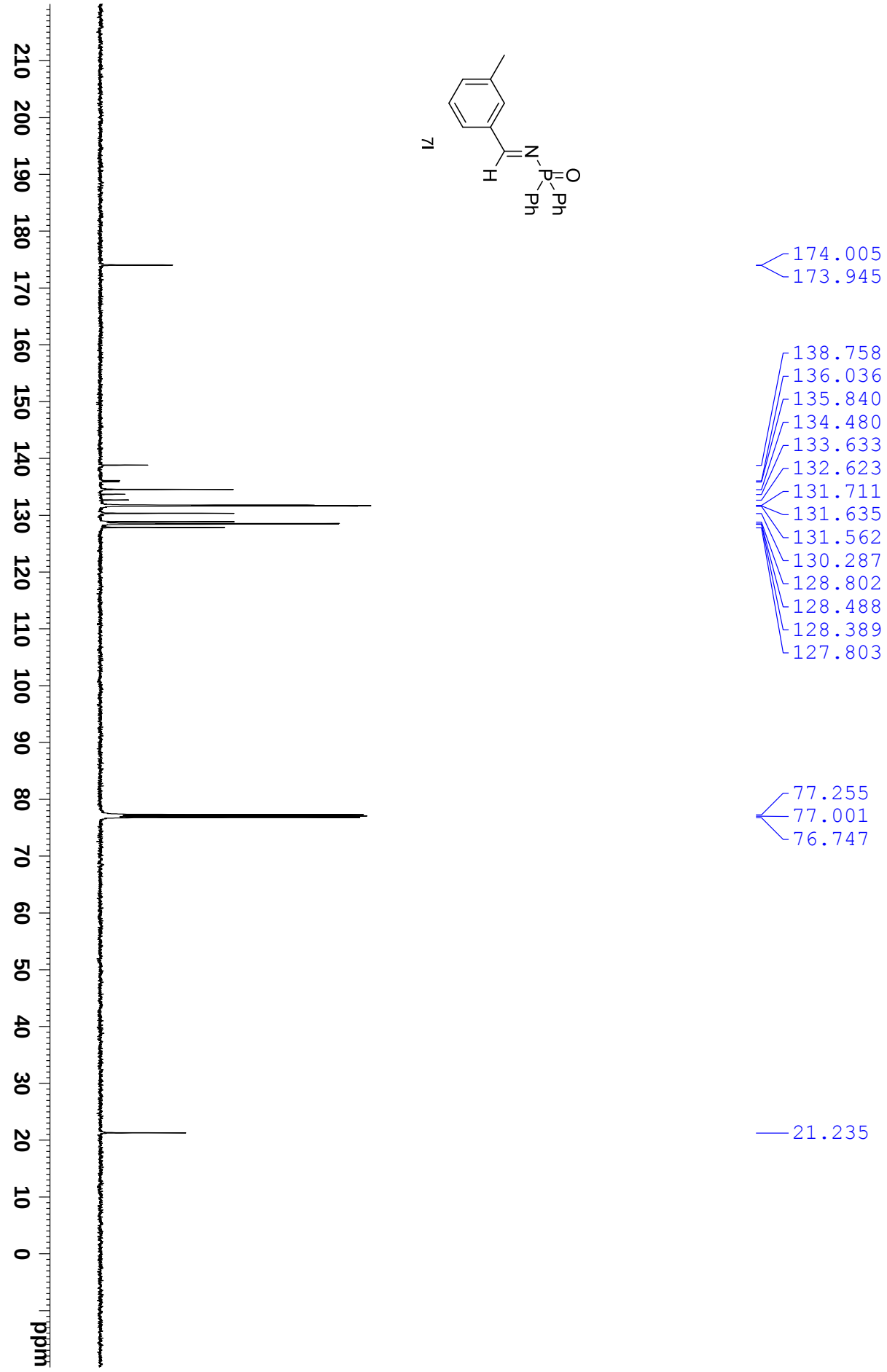
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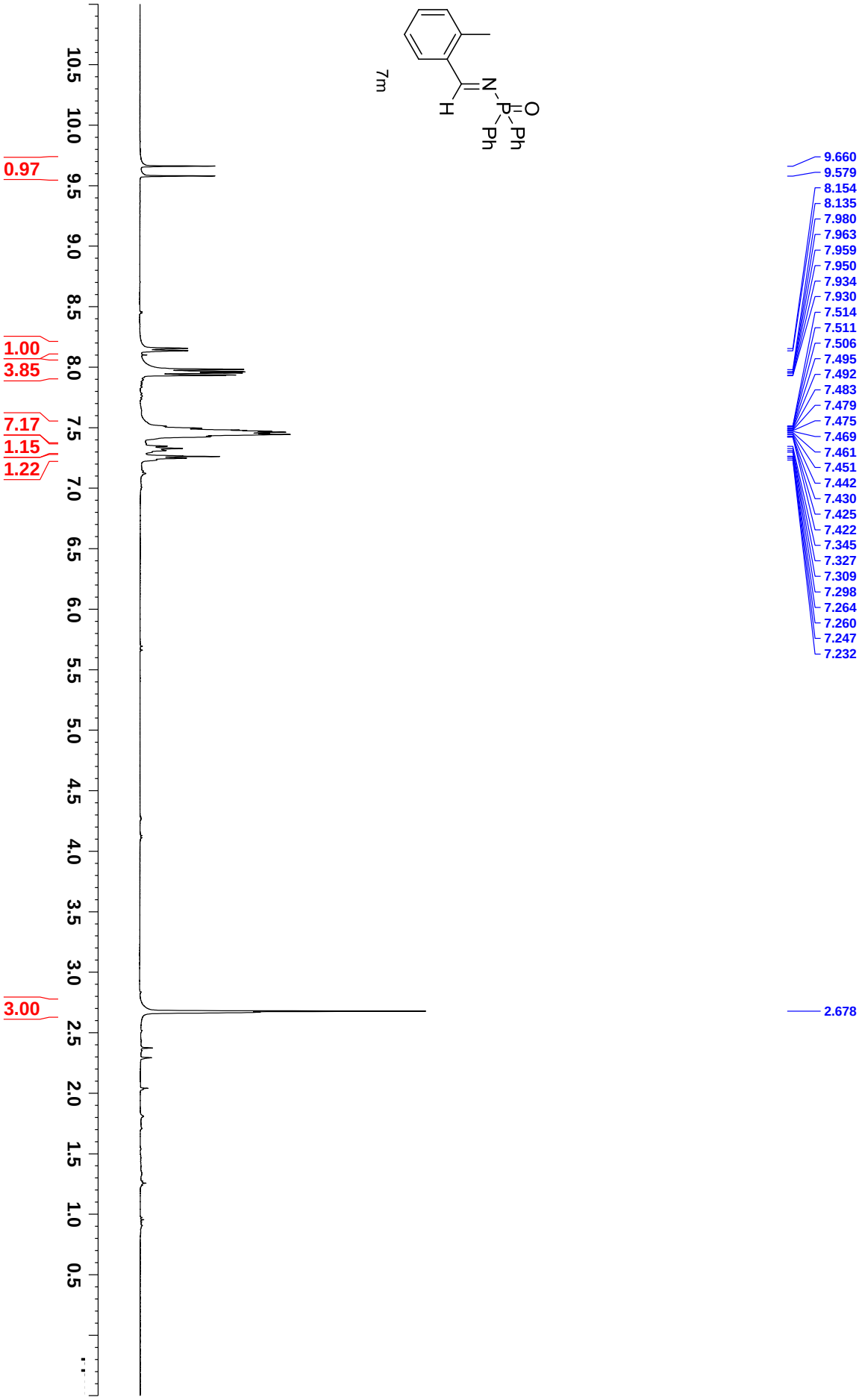
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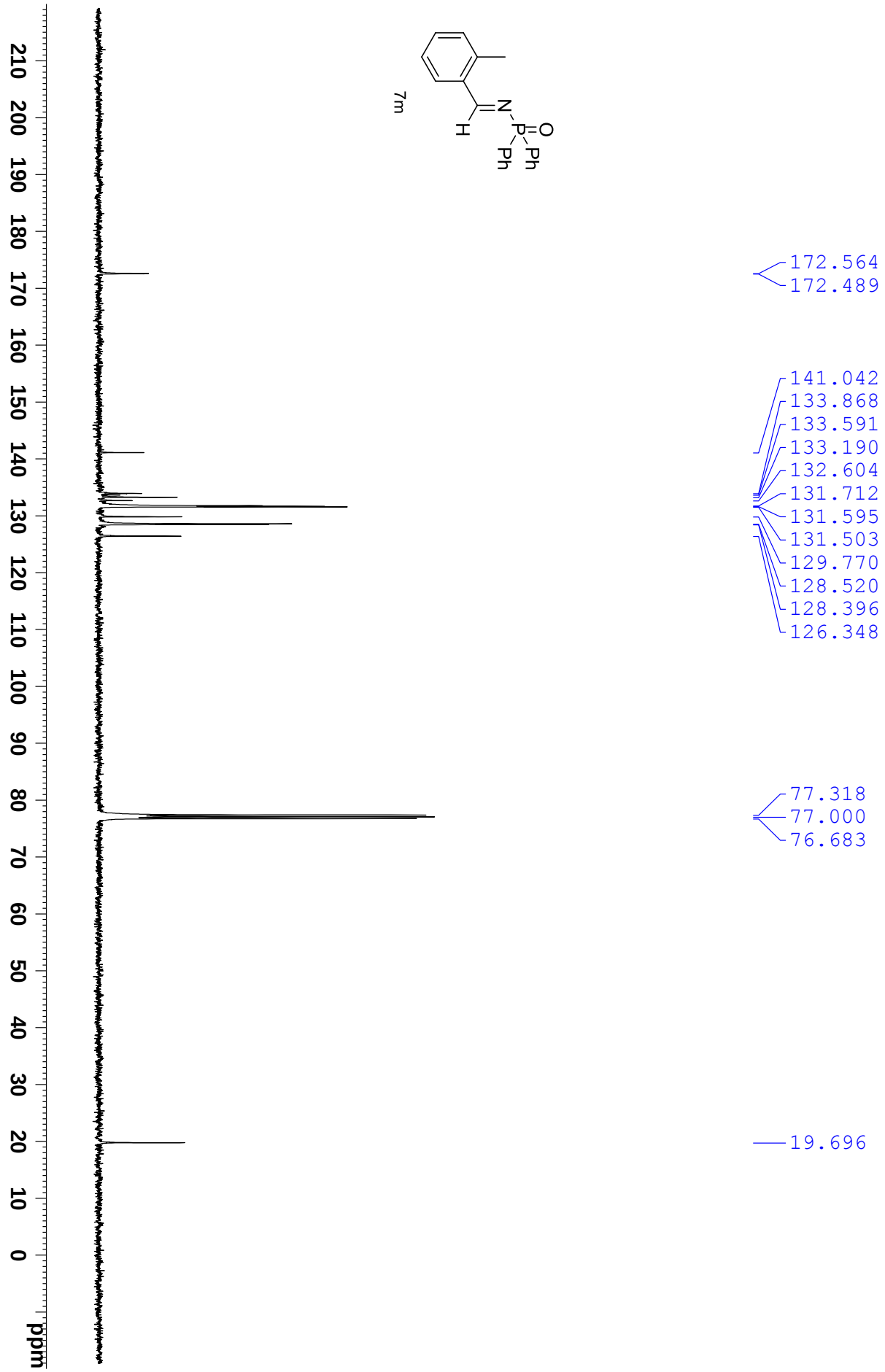

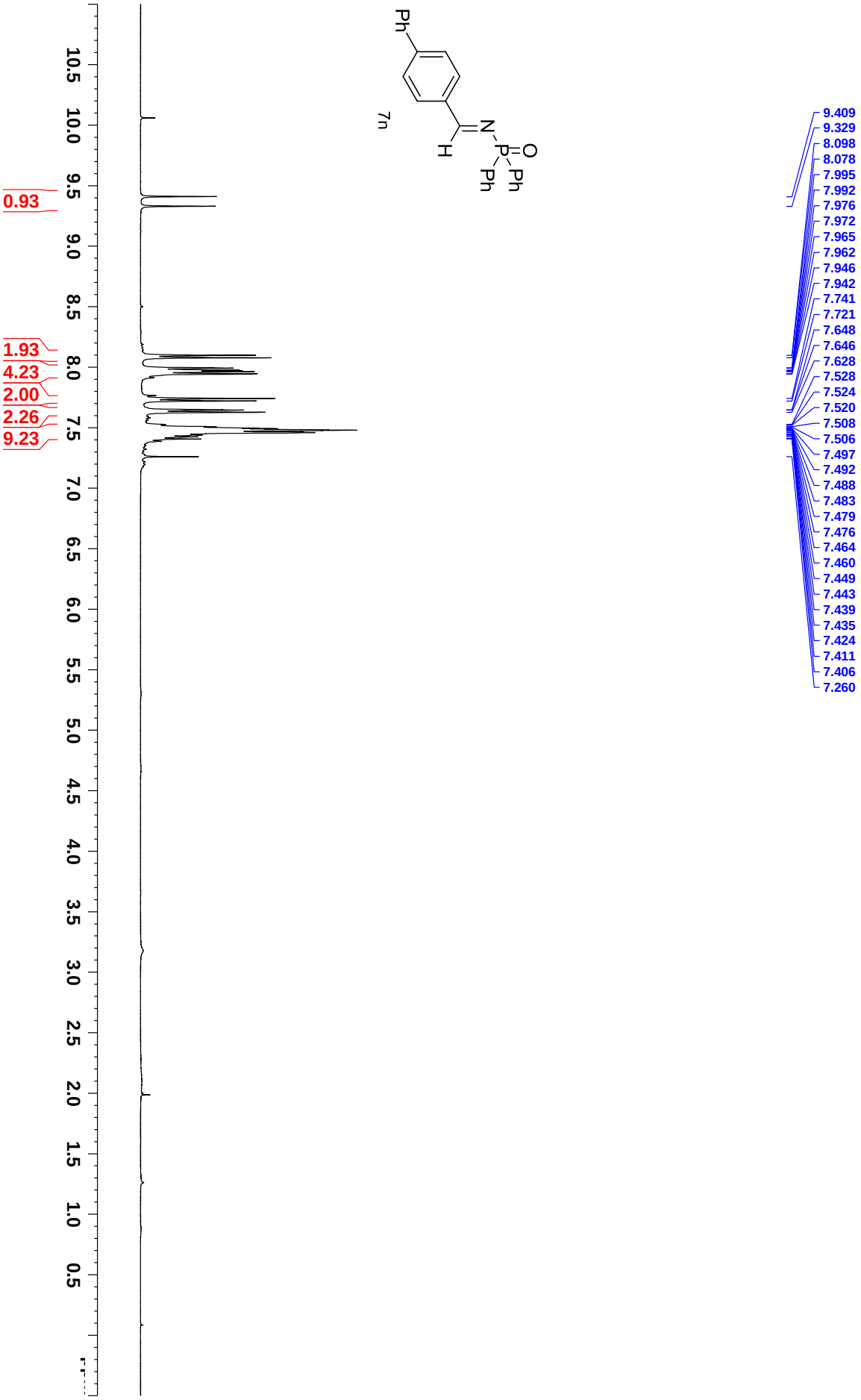
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O
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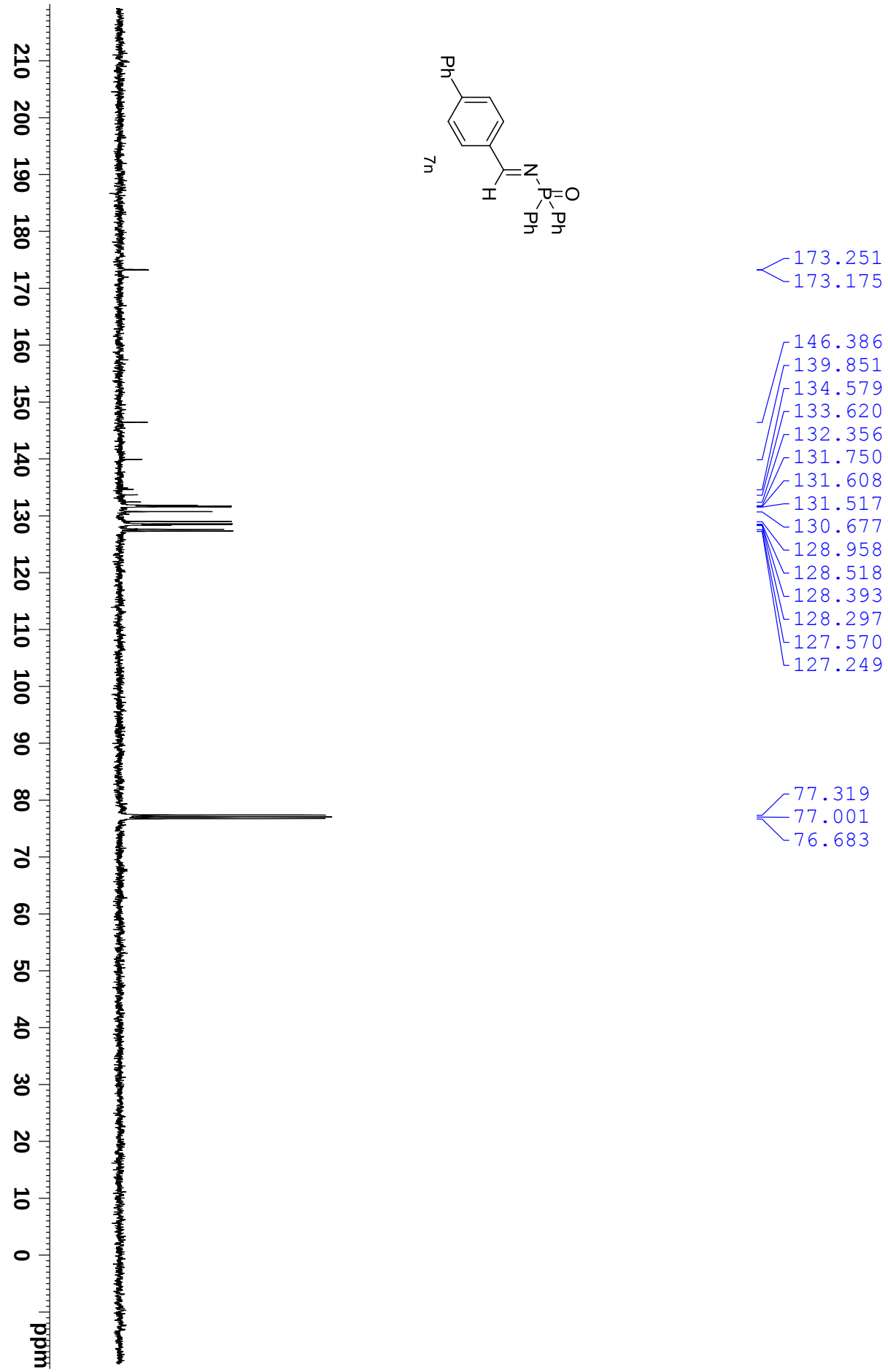
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Ph
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H
O
P
Ph
Ph
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134.579
133.620
132.356
131.750
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128.393
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127.570
127.249
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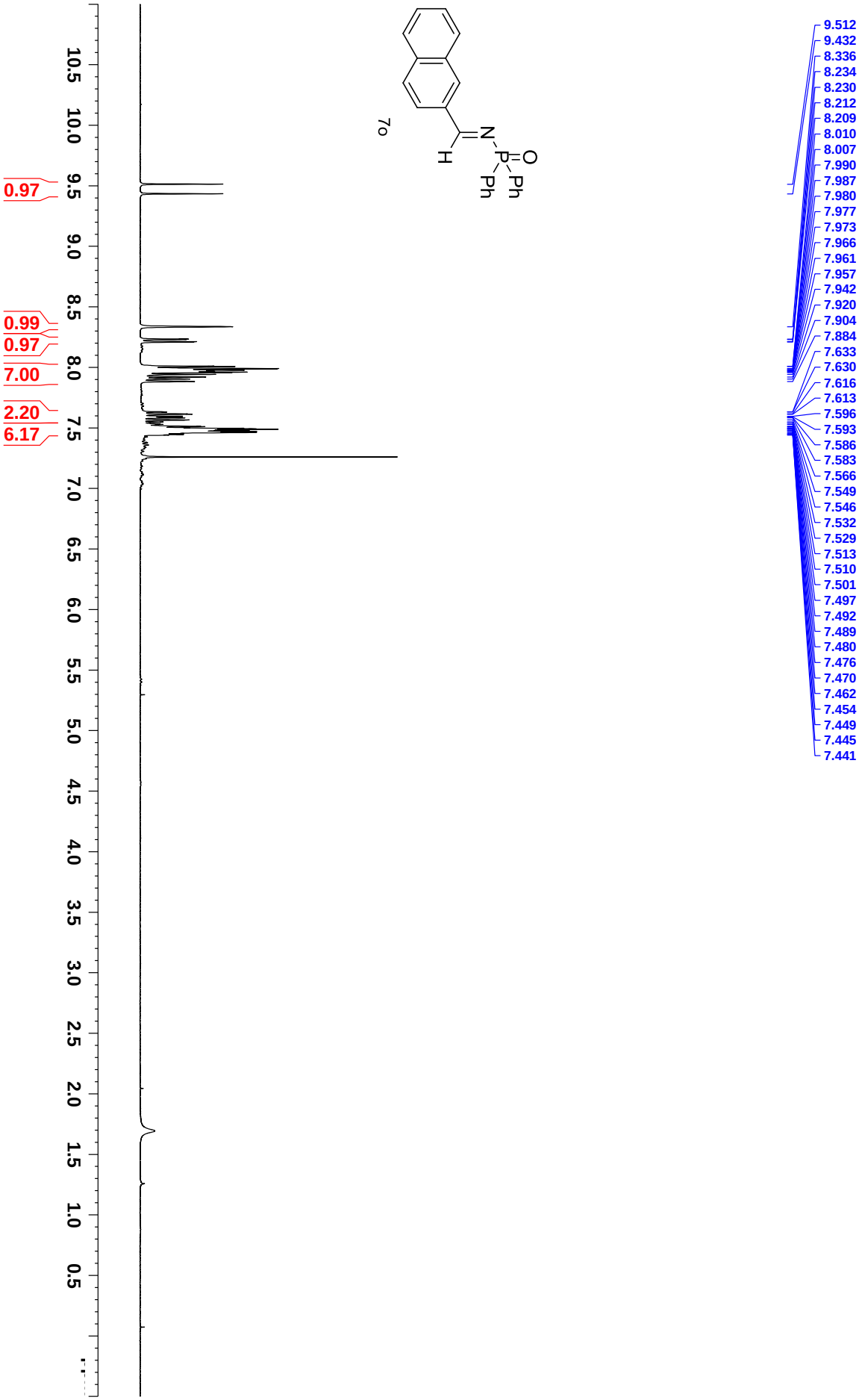
77.001
76.683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0.97
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6.17
7o
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9.432
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8.234
8.230
8.212
8.209
8.010
8.007
7.990
7.987
7.980
7.977
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7.966
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7.957
7.942
7.920
7.904
7.884
7.633
7.630
7.616
7.613
7.596
7.593
7.586
7.583
7.566
7.549
7.546
7.532
7.529
7.513
7.510
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7.476
7.470
7.462
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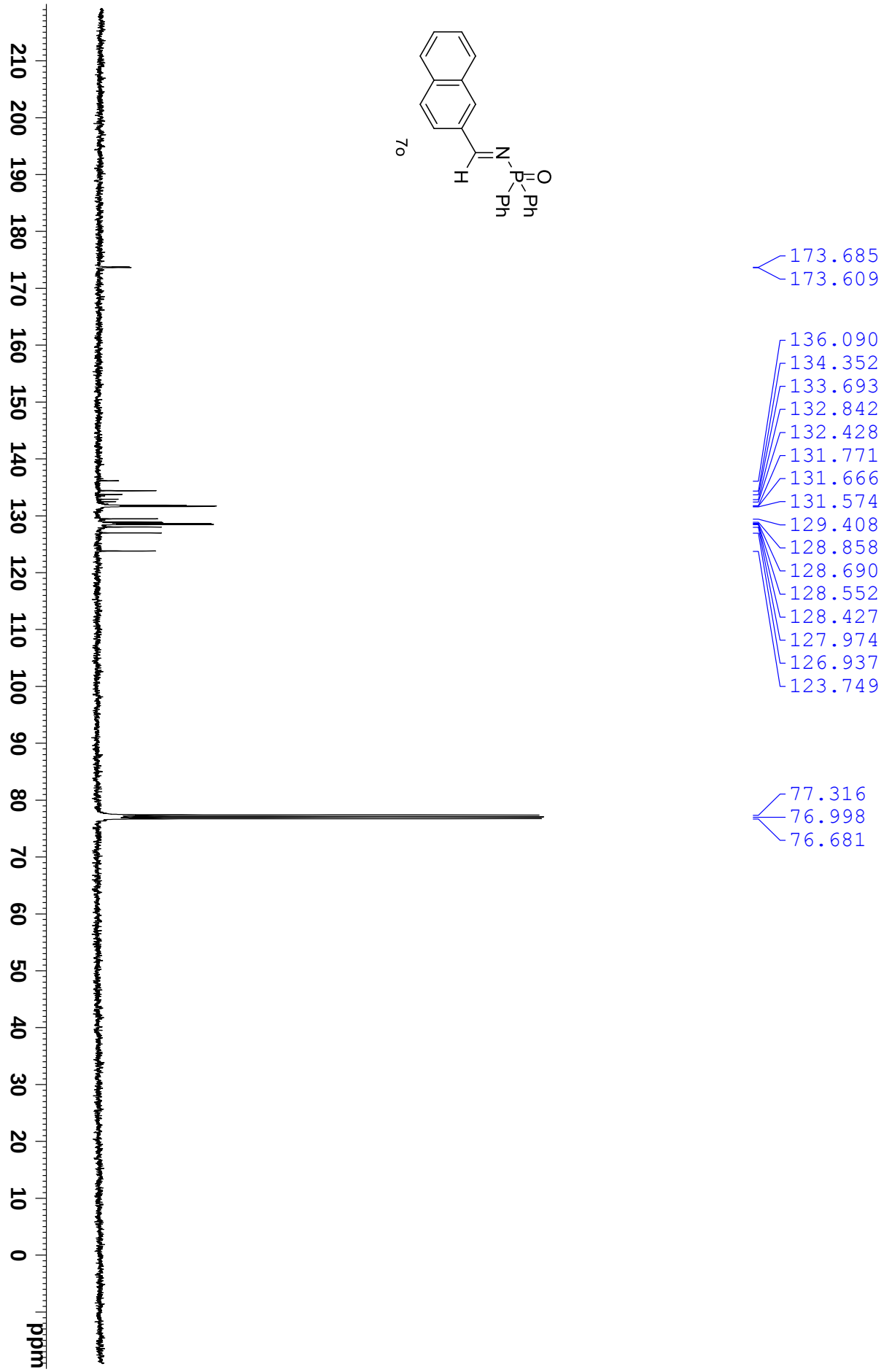
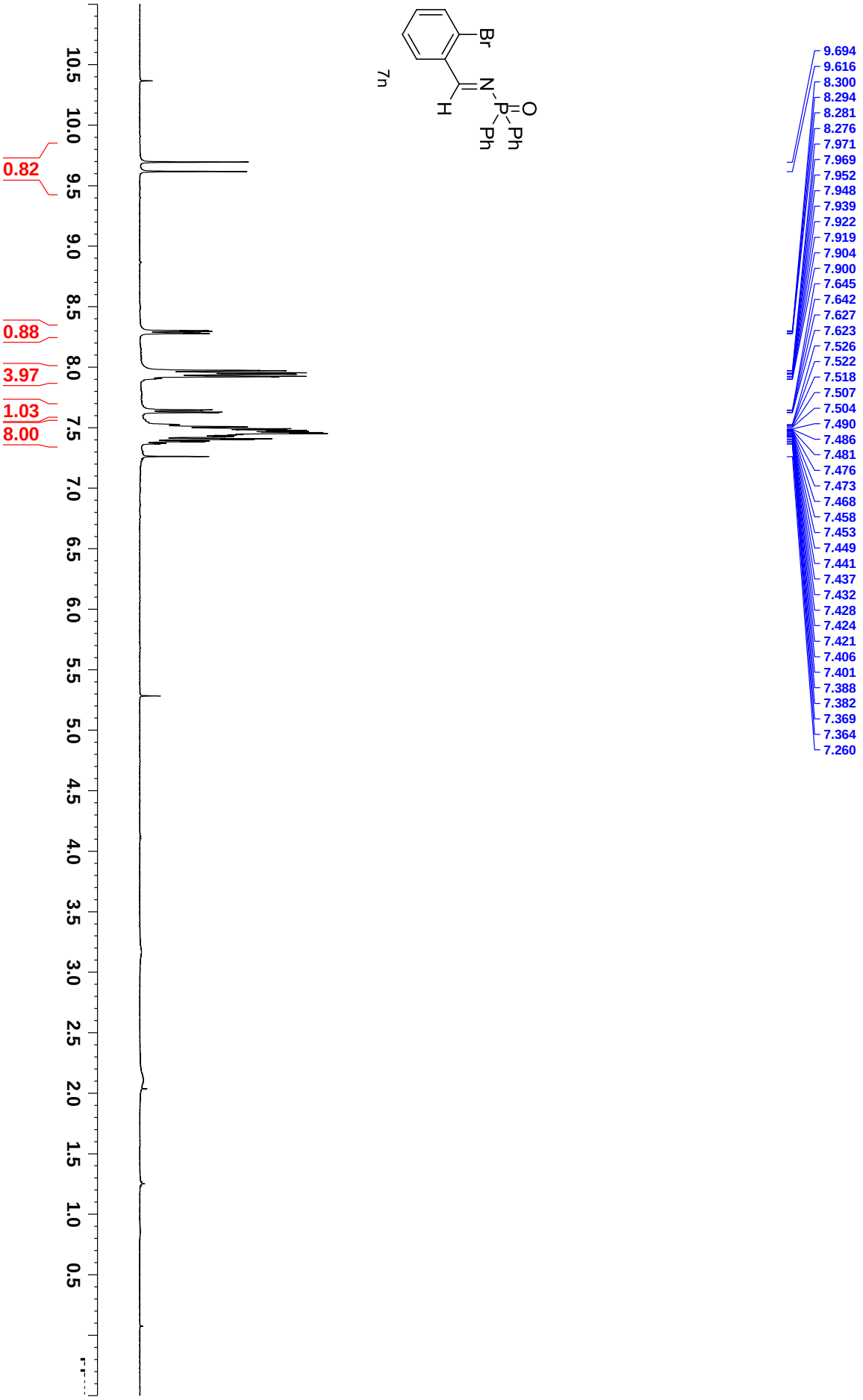
7.445
7.441

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3.97
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7n
Br
N
H
P
O
Ph
Ph
9.694
9.616
8.300
8.294
8.281
8.276
7.971
7.969
7.952
7.948
7.939
7.922
7.919
7.904
7.900
7.645
7.642
7.627
7.623
7.526
7.522
7.518
7.507
7.504
7.490
7.486
7.481
7.476
7.473
7.468
7.458
7.453
7.449
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7.369
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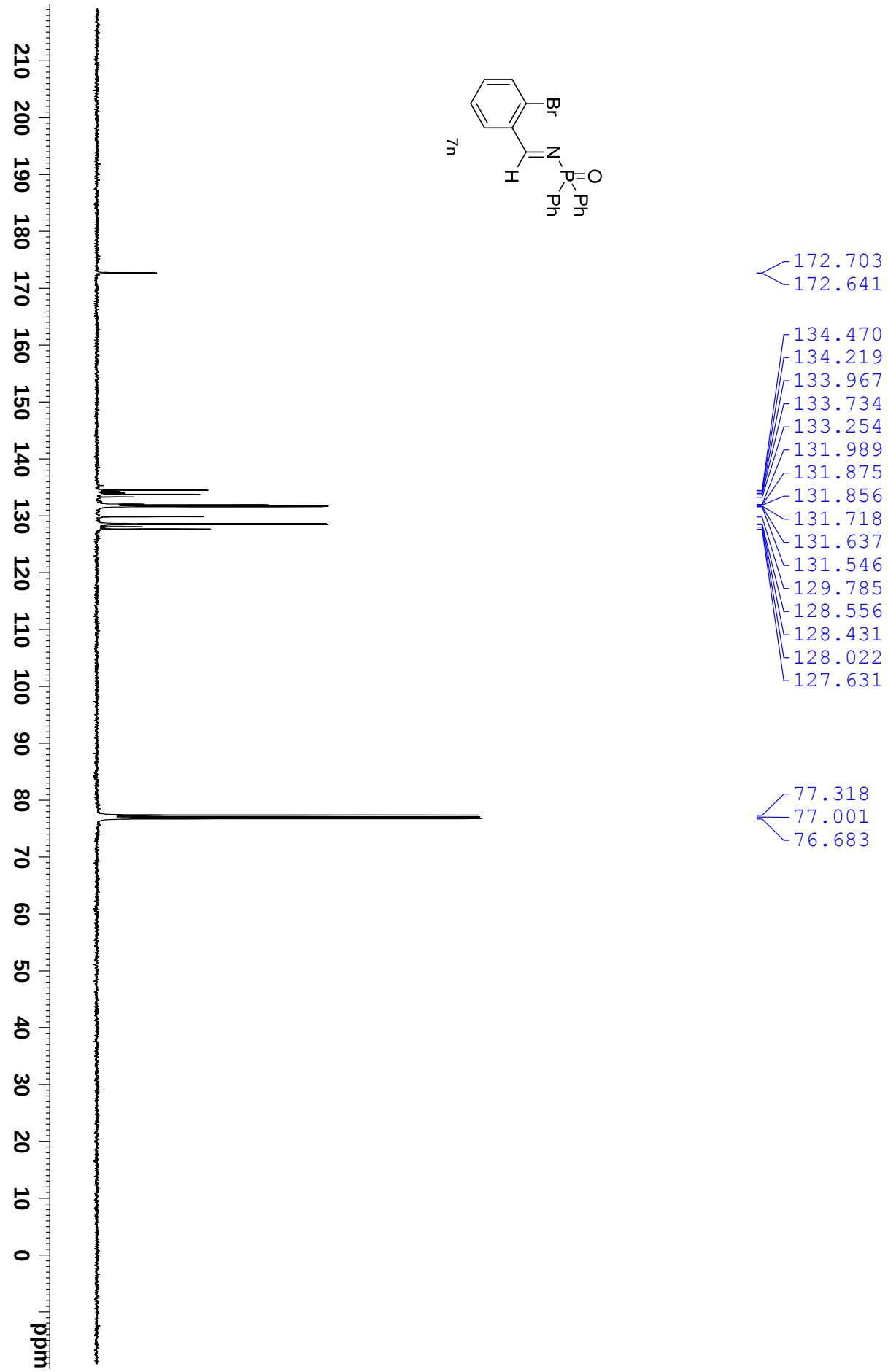
7.260


Br
N
H
O
P
Ph
Ph
7n
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172.641
134.470
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133.967
133.734
133.254
131.989
131.875
131.856
131.718
131.637
131.546
129.785
128.556
128.431
128.022
127.631
77.318
77.001
76.683
210
200
190
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140
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100
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80
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60
50
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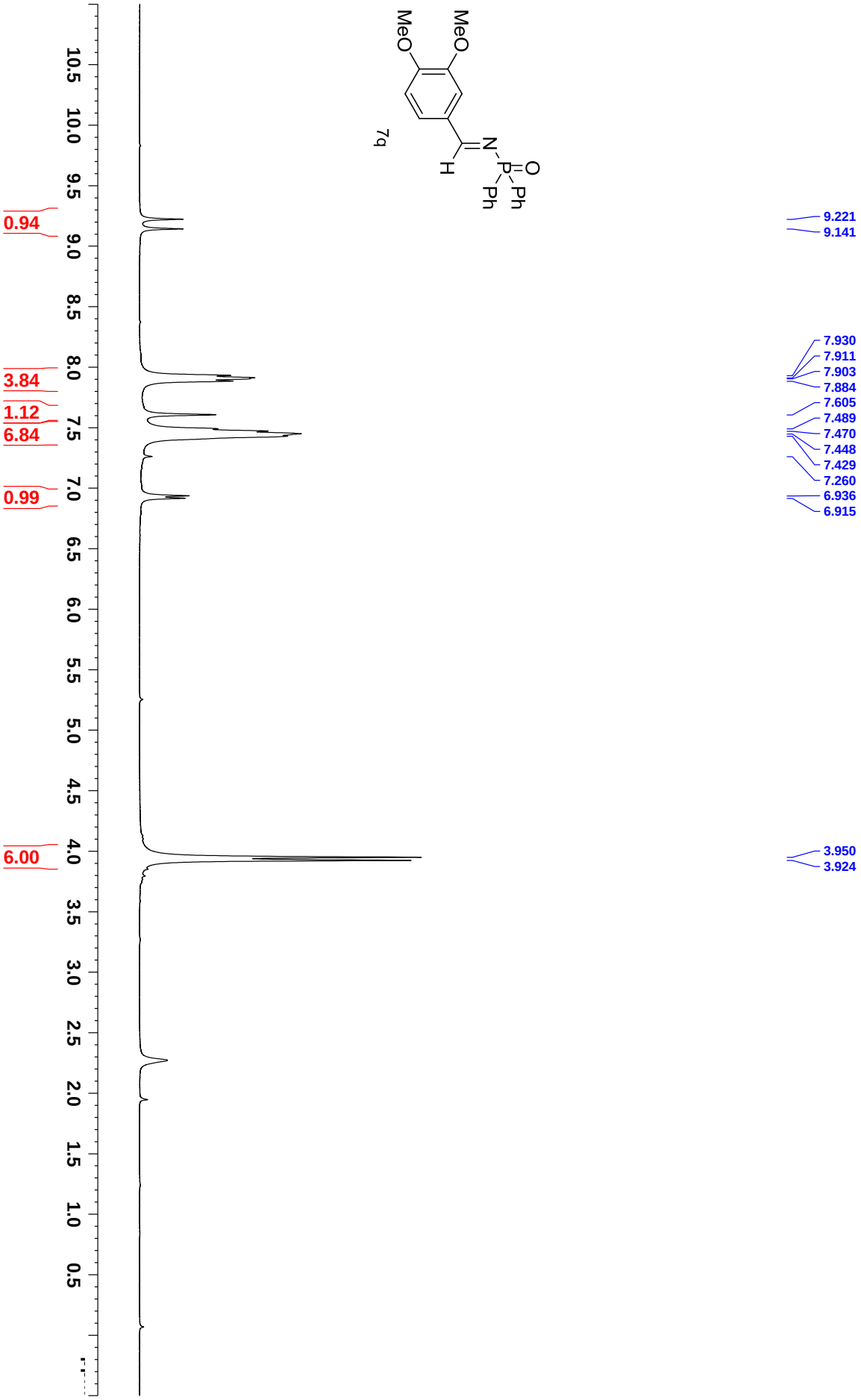
30
20
10
0
ppm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MeO
N
P
O
Ph
Ph
H
7q
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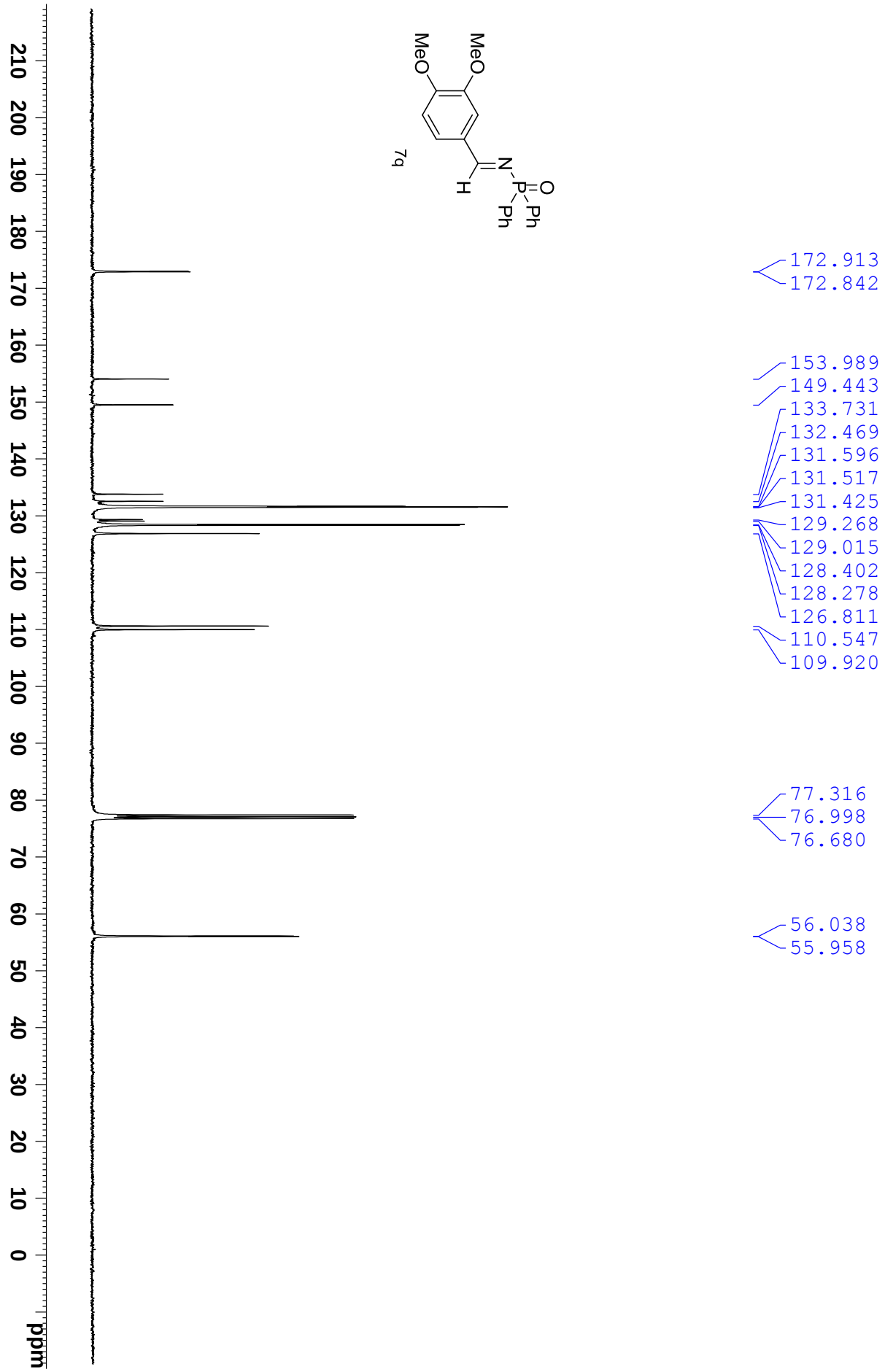
3.84
1.12
6.84
0.99
6.00
9.221
9.141
7.930
7.911
7.903
7.884
7.605
7.489
7.470
7.448
7.429
7.260
6.936
6.915
3.950
3.924


MeO
MeO
N
P
O
Ph
Ph
H
7q
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172.842
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149.443
133.731
132.469
131.596
131.517
131.425
129.268
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126.811
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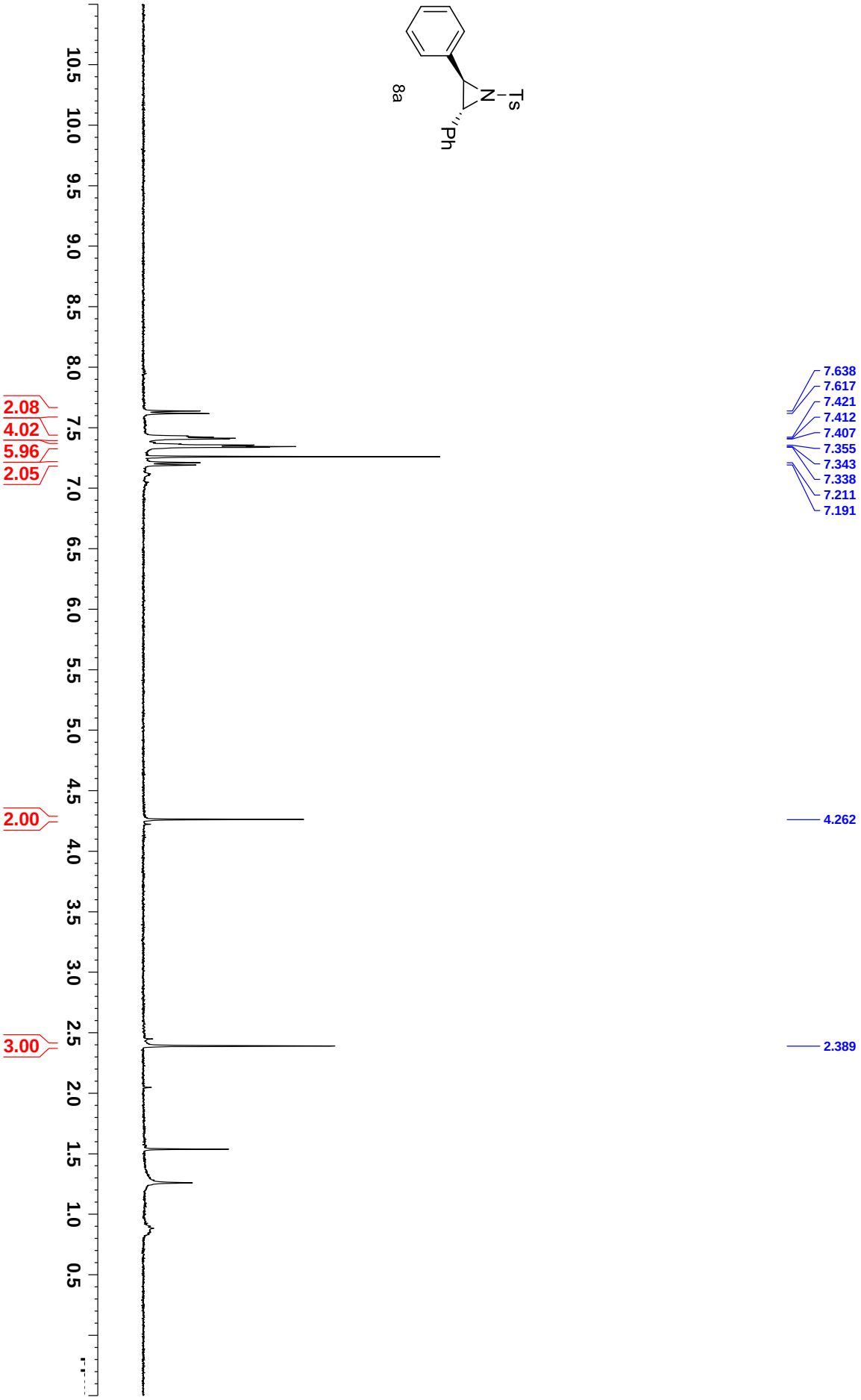
76.998
76.680
56.038
55.958
ppm


8a
Ts
N
Ph
7.638
7.617
7.421
7.412
7.407
7.355
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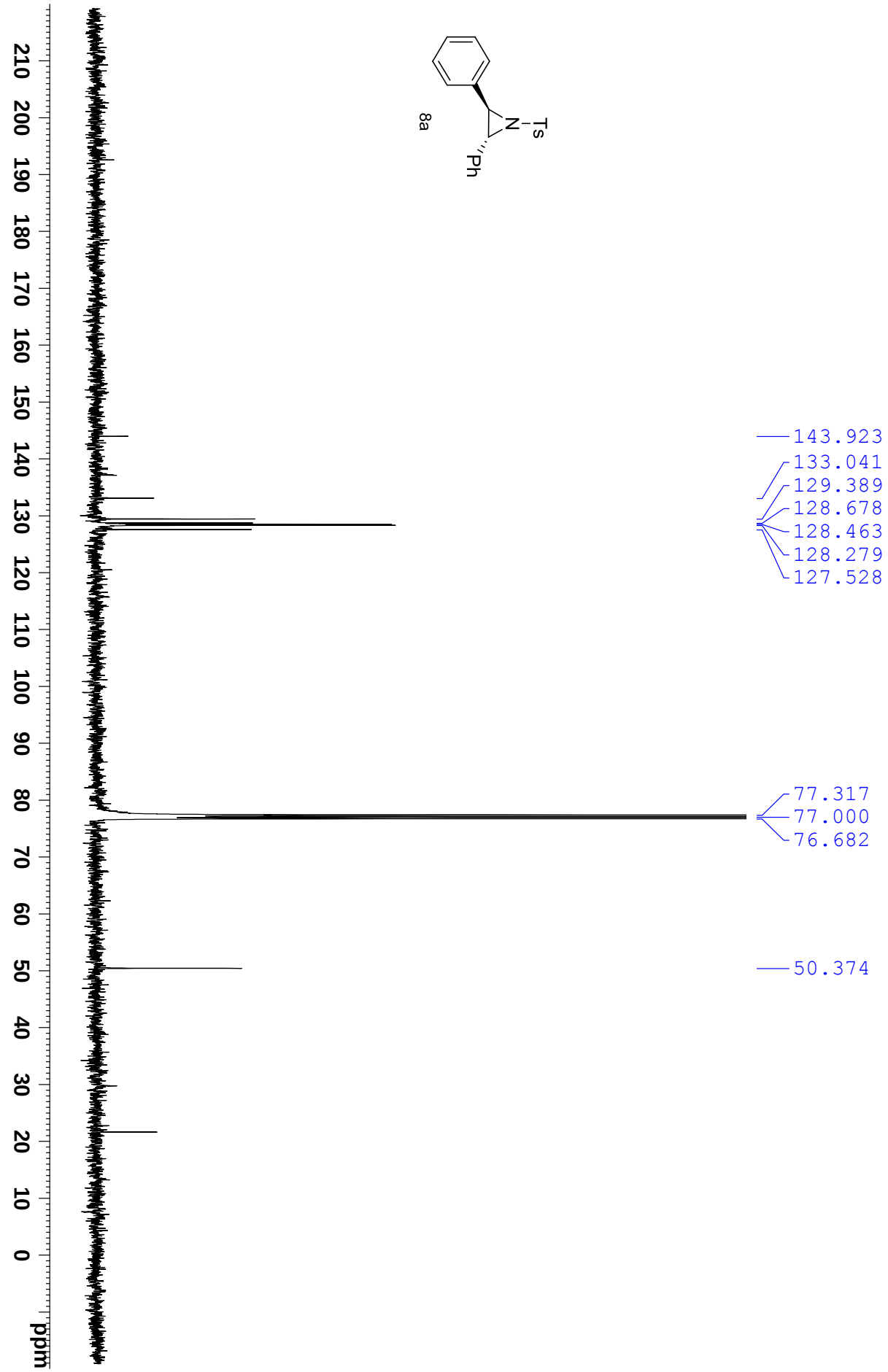
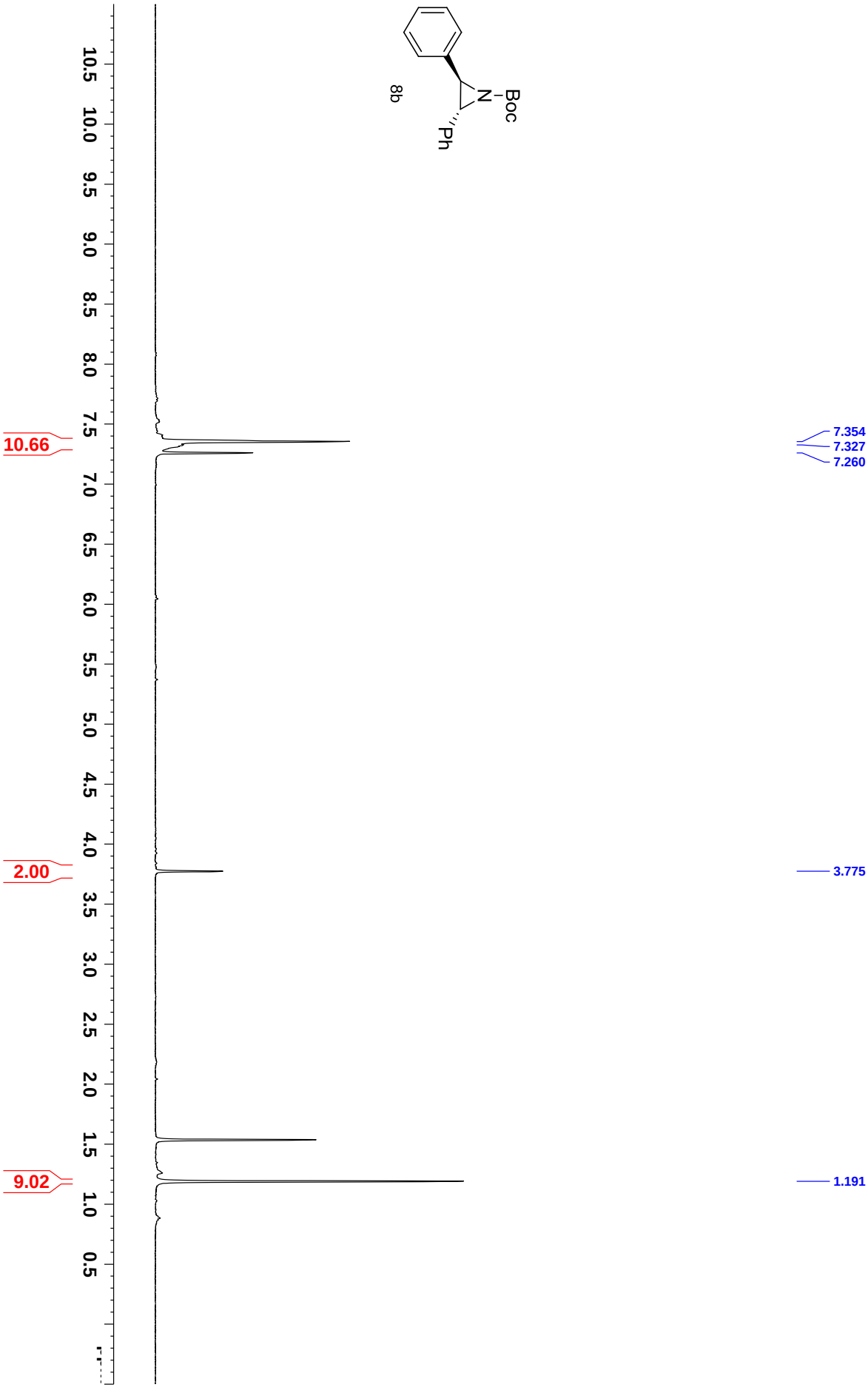
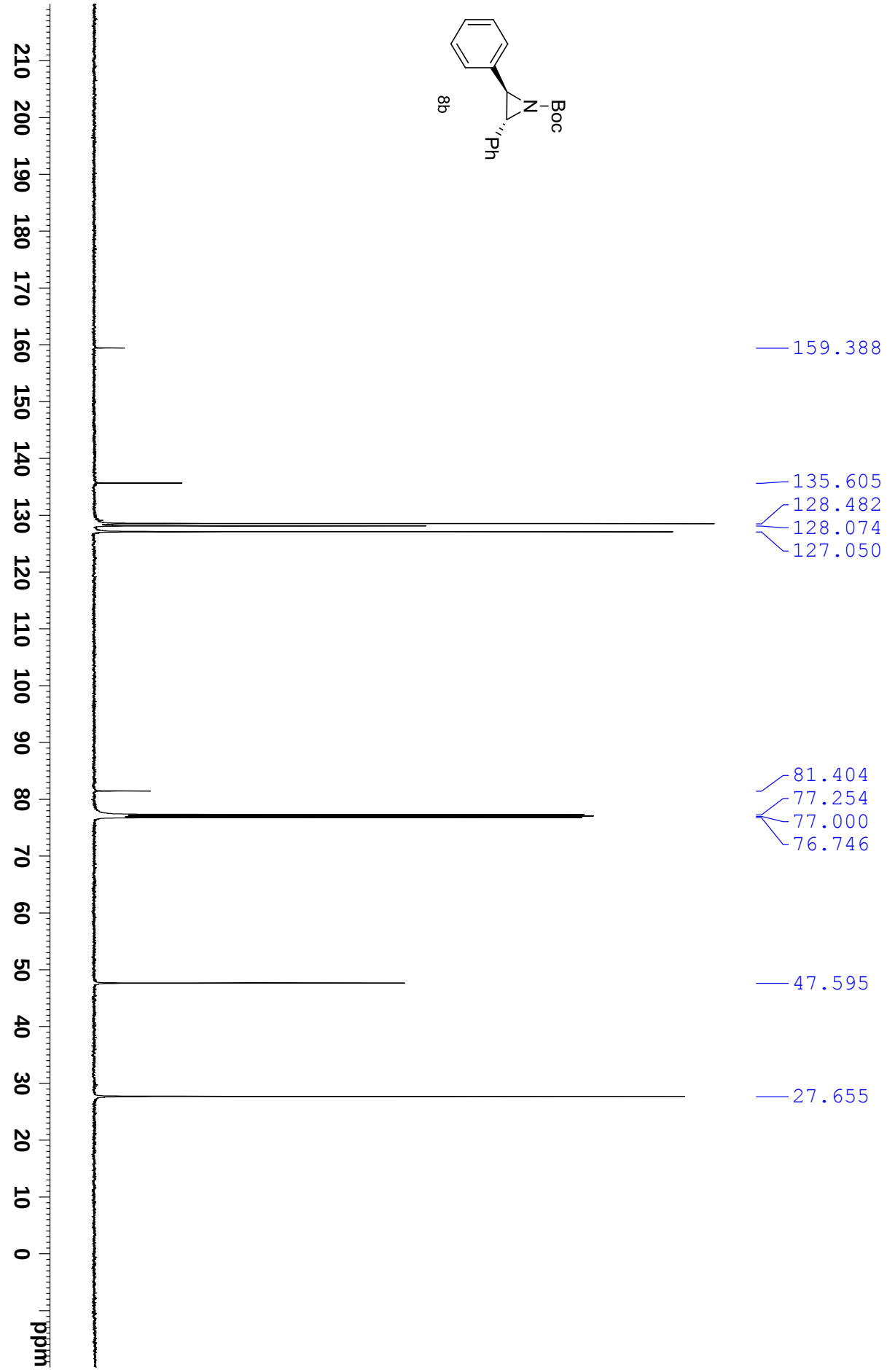
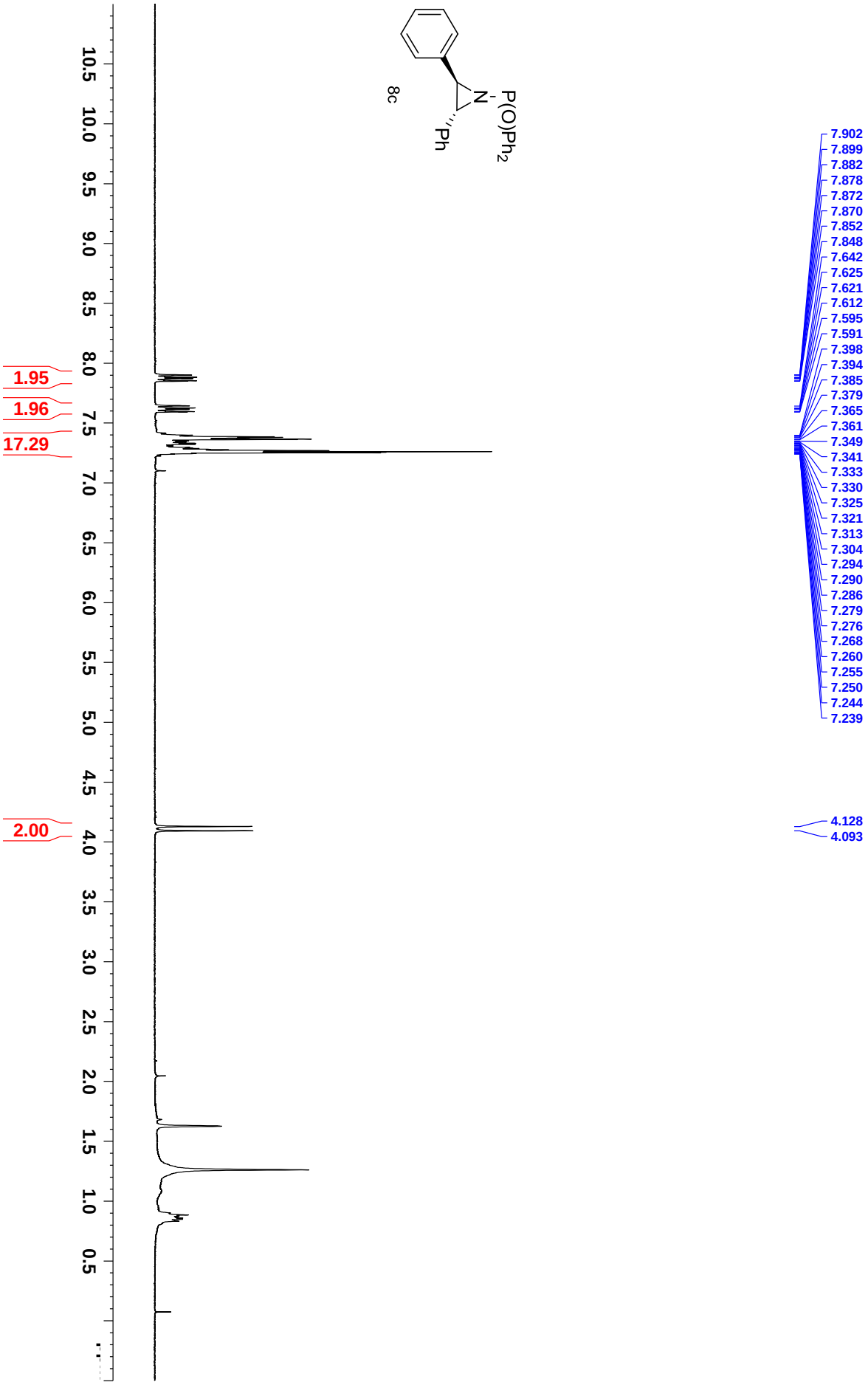
7.211
7.191
4.262
2.389
2.08
4.02
5.96
2.05
2.00
3.00








8c
N
P(O)Ph2
Ph
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1.96
17.29
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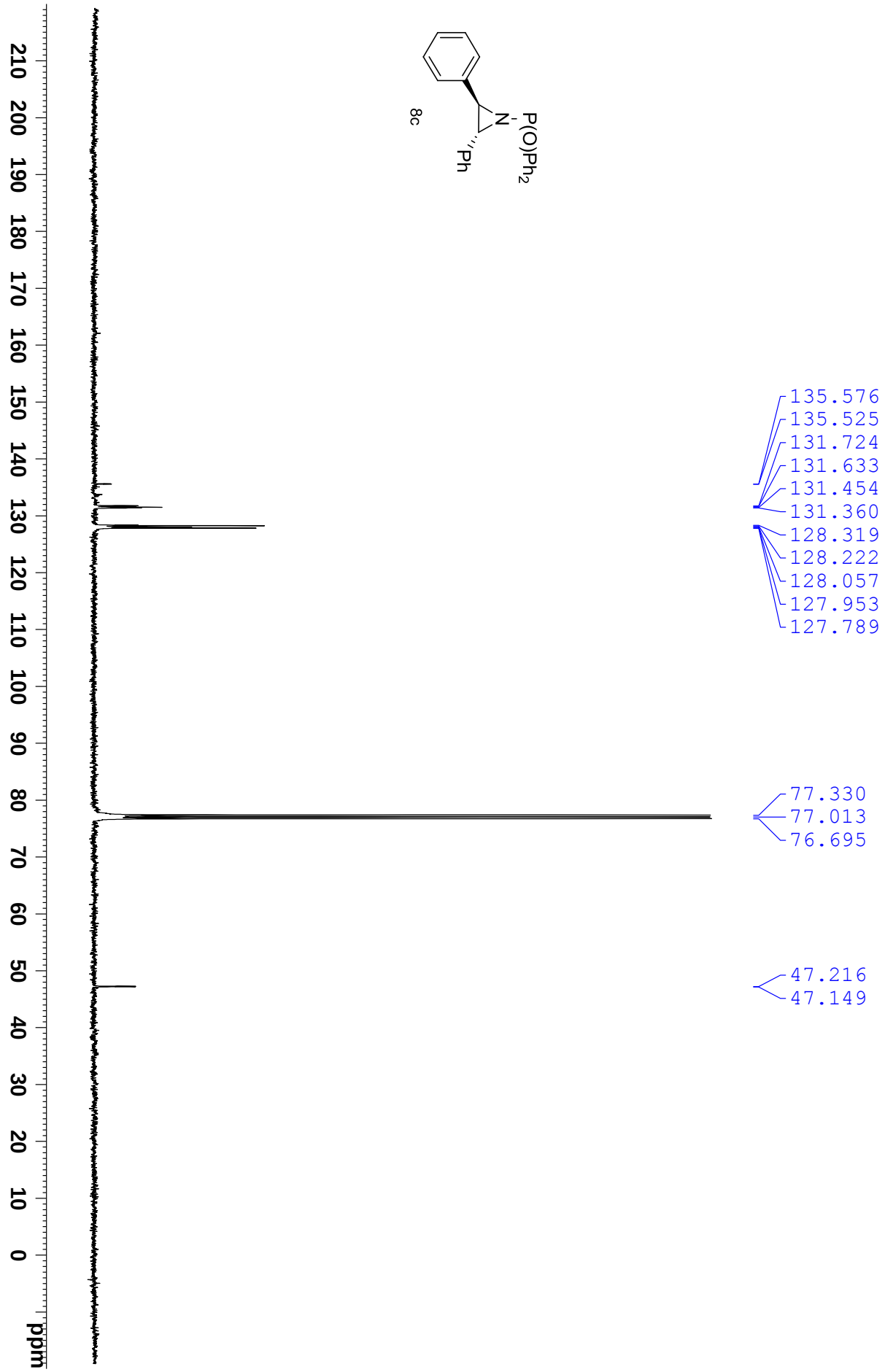
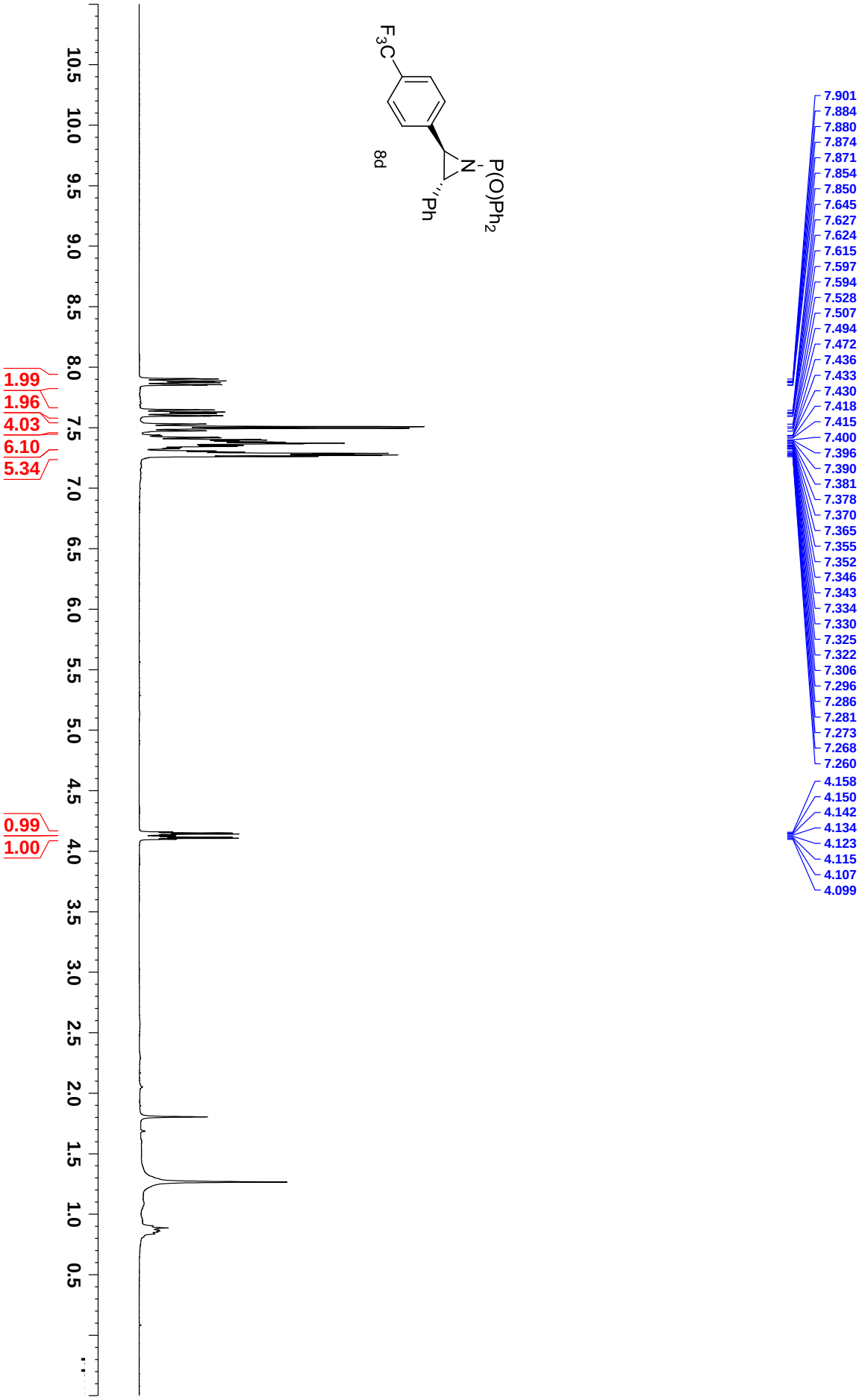
7.899
7.882
7.878
7.872
7.870
7.852
7.848
7.642
7.625
7.621
7.612
7.595
7.591
7.398
7.394
7.385
7.379
7.365
7.361
7.349
7.341
7.333
7.330
7.325
7.321
7.313
7.304
7.294
7.290
7.286
7.279
7.276
7.268
7.260
7.255
7.250
7.244
7.239
4.128
4.093




F3C
8d
N
P(O)Ph2
Ph
1.99
1.96
4.03
6.10
5.34
0.99
1.00
7.901
7.884
7.880
7.874
7.871
7.854
7.850
7.645
7.627
7.624
7.615
7.597
7.594
7.528
7.507
7.494
7.472
7.436
7.433
7.430
7.418
7.415
7.400
7.396
7.390
7.381
7.378
7.370
7.365
7.355
7.352
7.346
7.343
7.334
7.330
7.325
7.322
7.306
7.296
7.286
7.281
7.273
7.268
7.260
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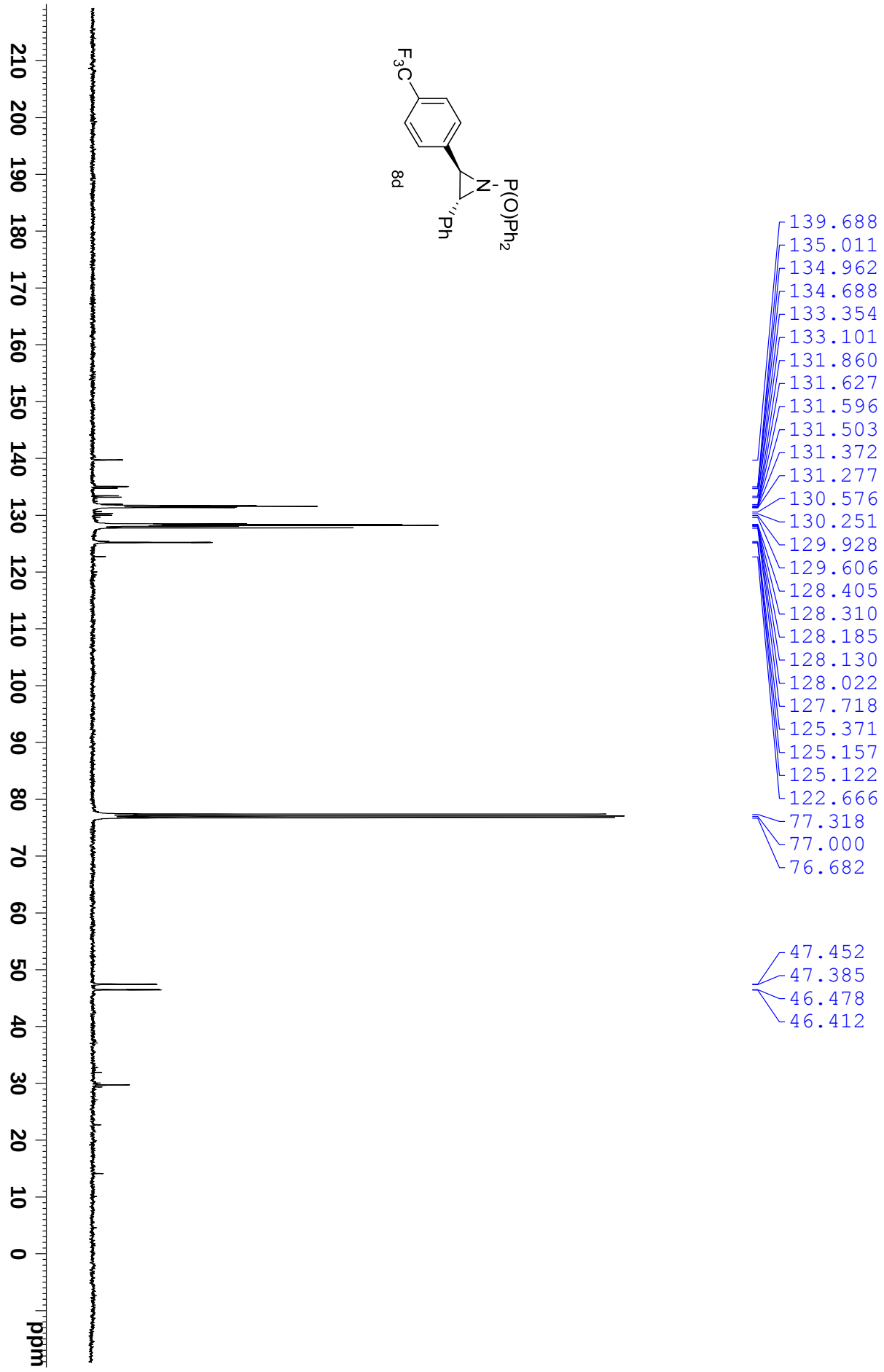
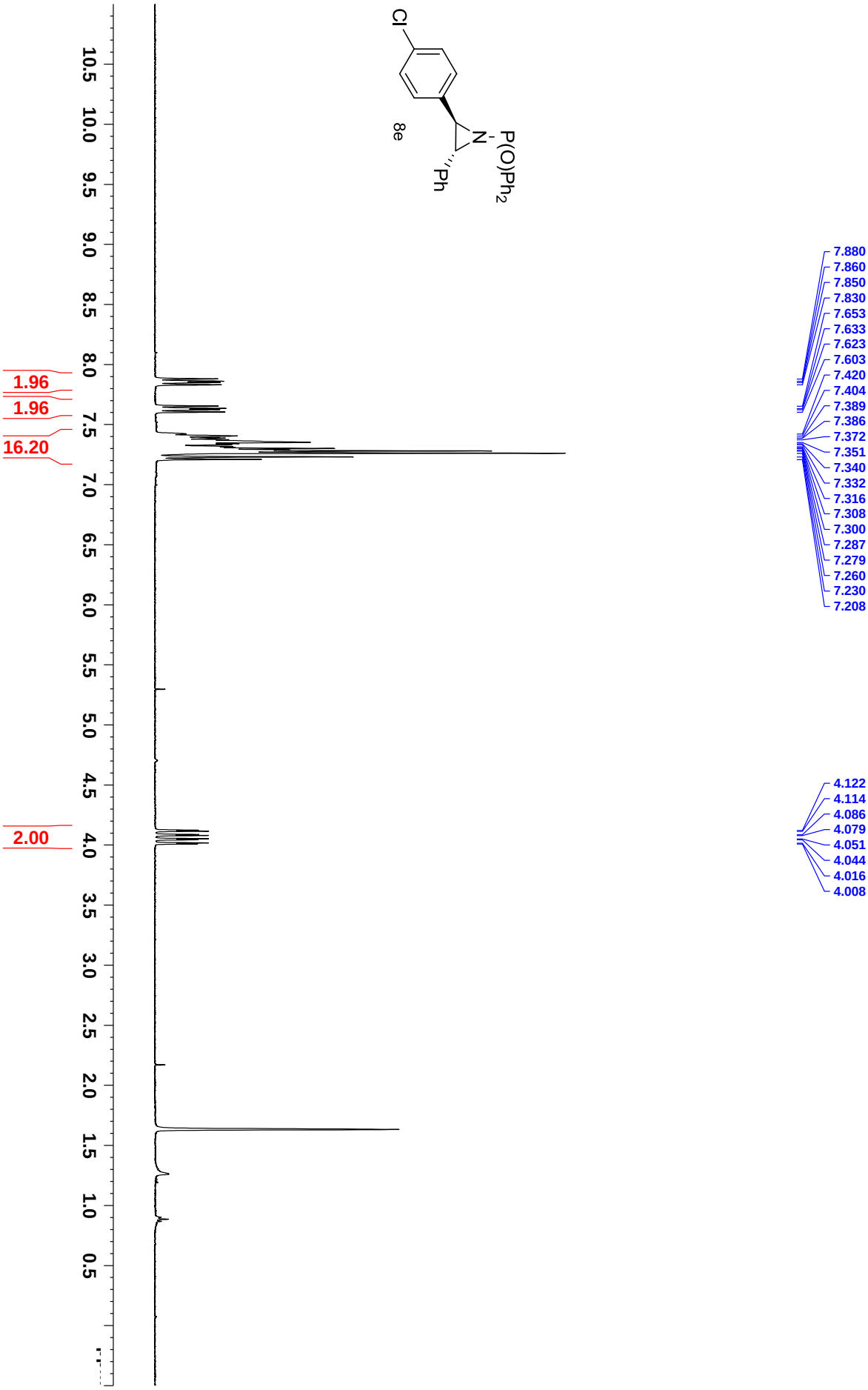
4.150
4.142
4.134
4.123
4.115
4.107
4.099




Cl
8e
N
P(O)Ph2
Ph
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1.96
16.20
2.00
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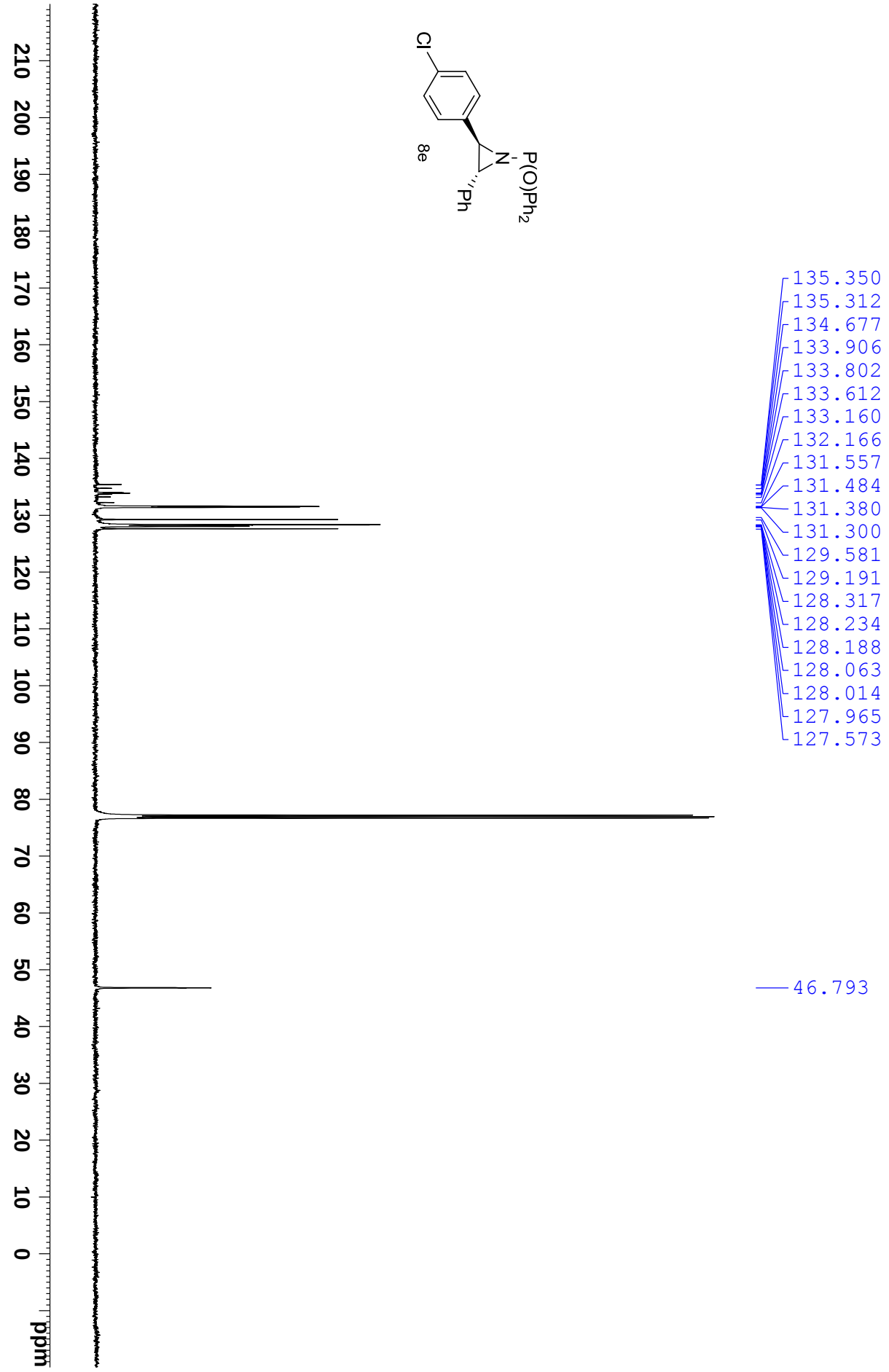
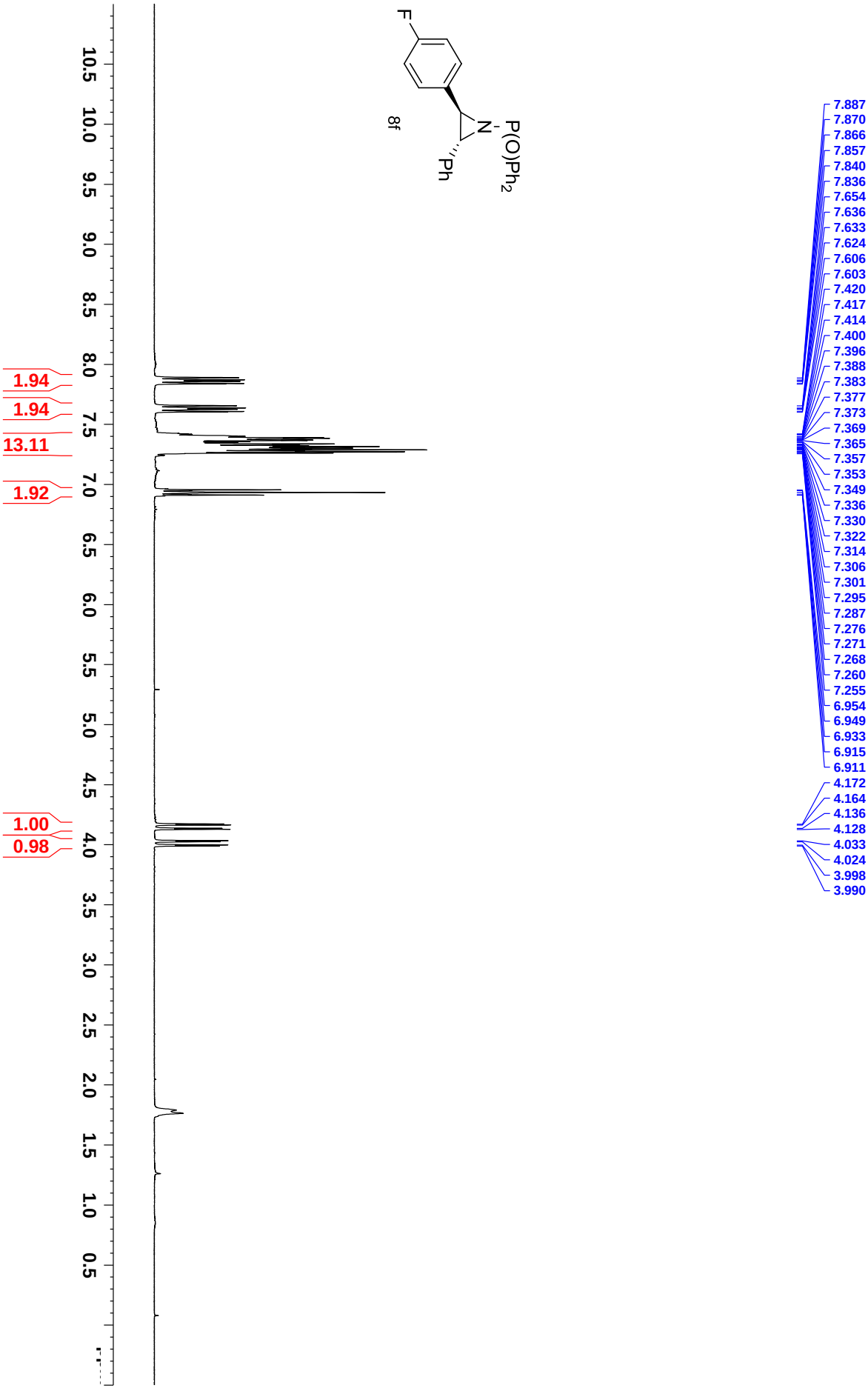
7.860
7.850
7.830
7.653
7.633
7.623
7.603
7.420
7.404
7.389
7.386
7.372
7.351
7.340
7.332
7.316
7.308
7.300
7.287
7.279
7.260
7.230
7.208
4.122
4.114
4.086
4.079
4.051
4.044
4.016
4.008
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5




F
8f
N
P(O)Ph2
Ph
1.94
1.94
13.11
1.92
1.00
0.98
7.887
7.870
7.866
7.857
7.840
7.836
7.654
7.636
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7.624
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7.603
7.420
7.417
7.414
7.400
7.396
7.388
7.383
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7.373
7.369
7.365
7.357
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7.349
7.336
7.330
7.322
7.314
7.306
7.301
7.295
7.287
7.276
7.271
7.268
7.260
7.255
6.954
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6.933
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6.911
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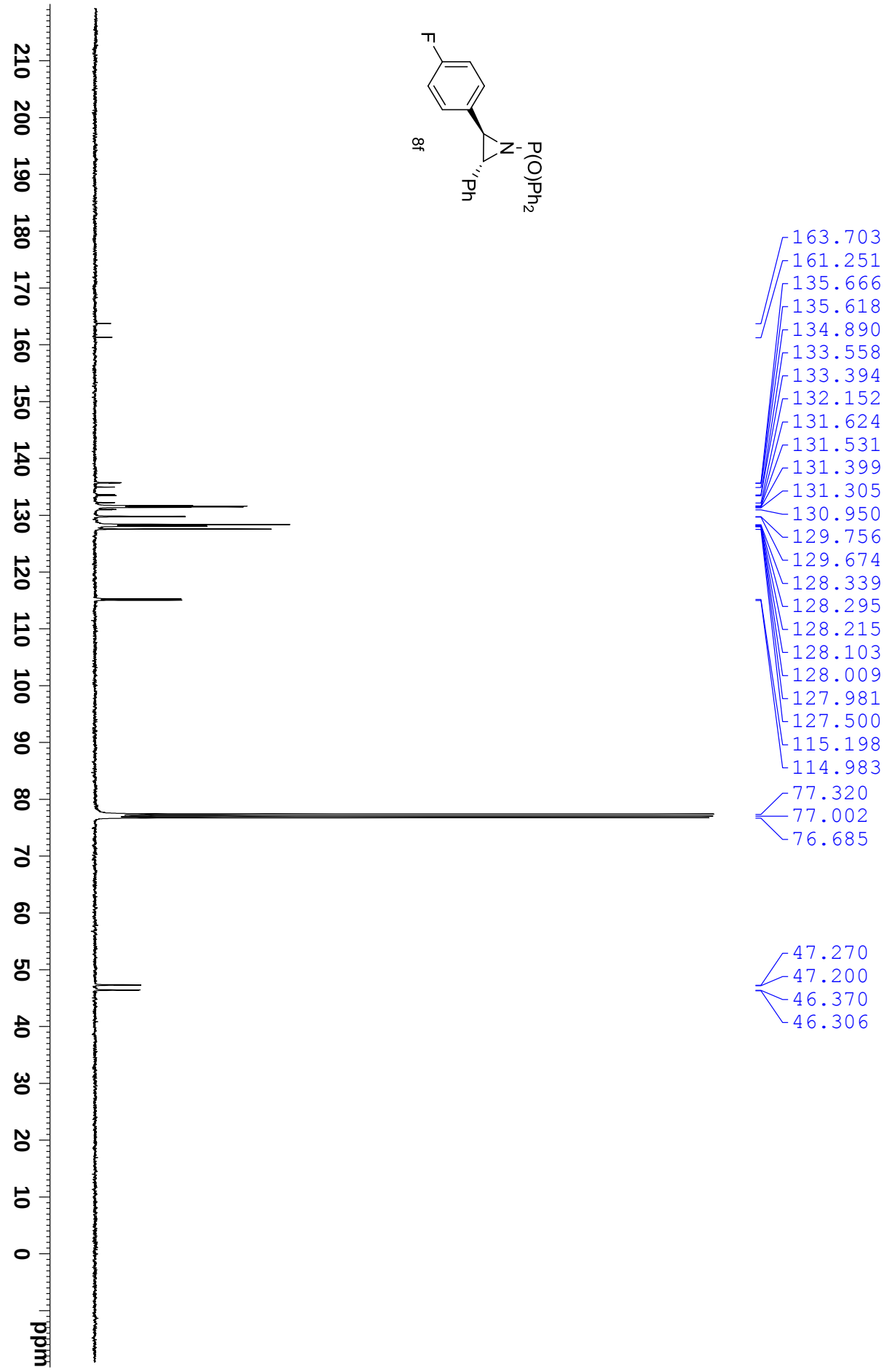
3.990


F
8f
N
P(O)Ph2
Ph
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161.251
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133.394
132.152
131.624
131.531
131.399
131.305
130.950
129.756
129.674
128.339
128.295
128.215
128.103
128.009
127.981
127.500
115.198
114.983
77.320
77.002
76.685
47.270
47.200
46.370
46.306
210
200
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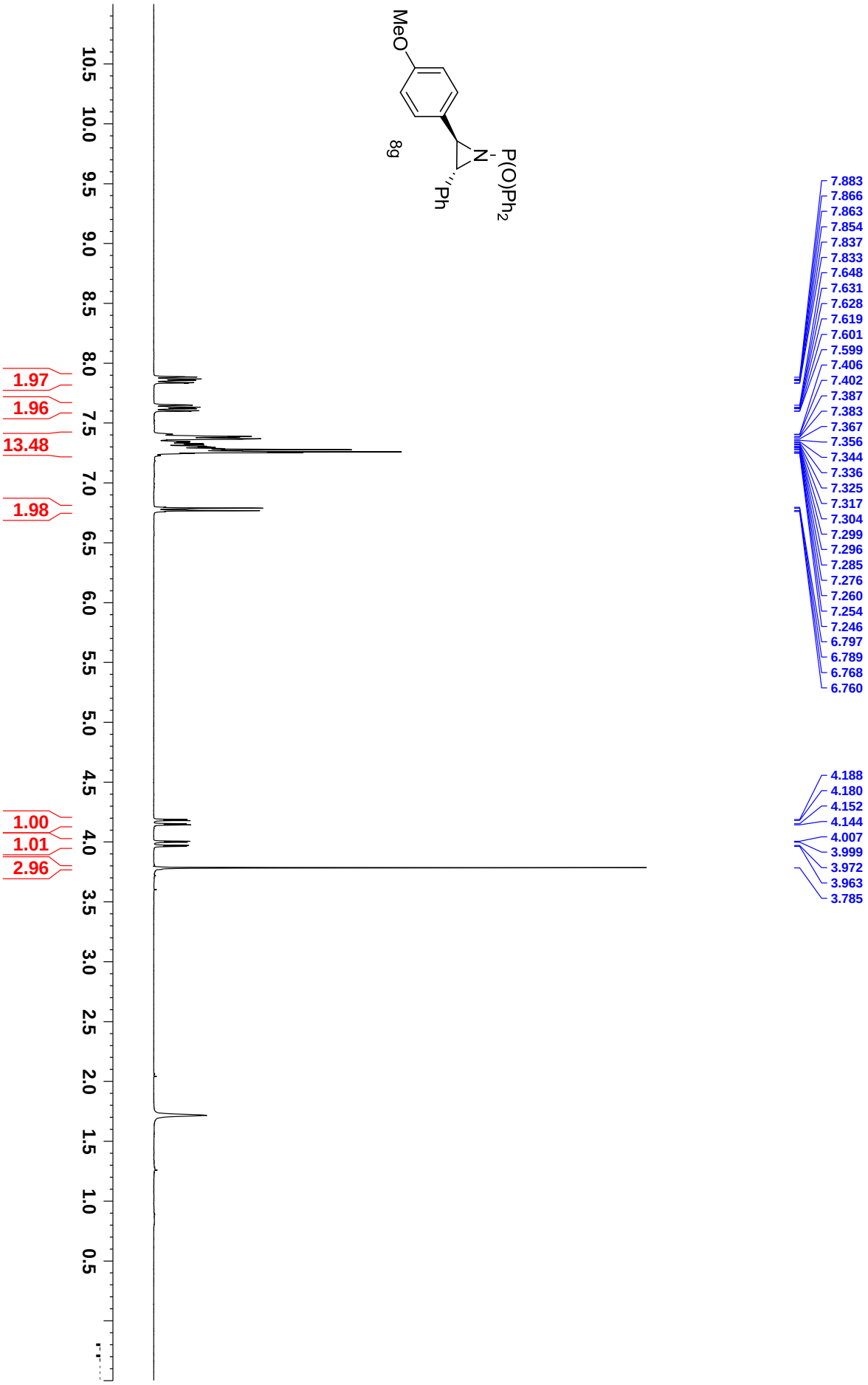
ppm


MeO
8g
N
P(O)Ph2
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7.648
7.631
7.628
7.619
7.601
7.599
7.406
7.402
7.387
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7.356
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7.276
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7.246
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4.152
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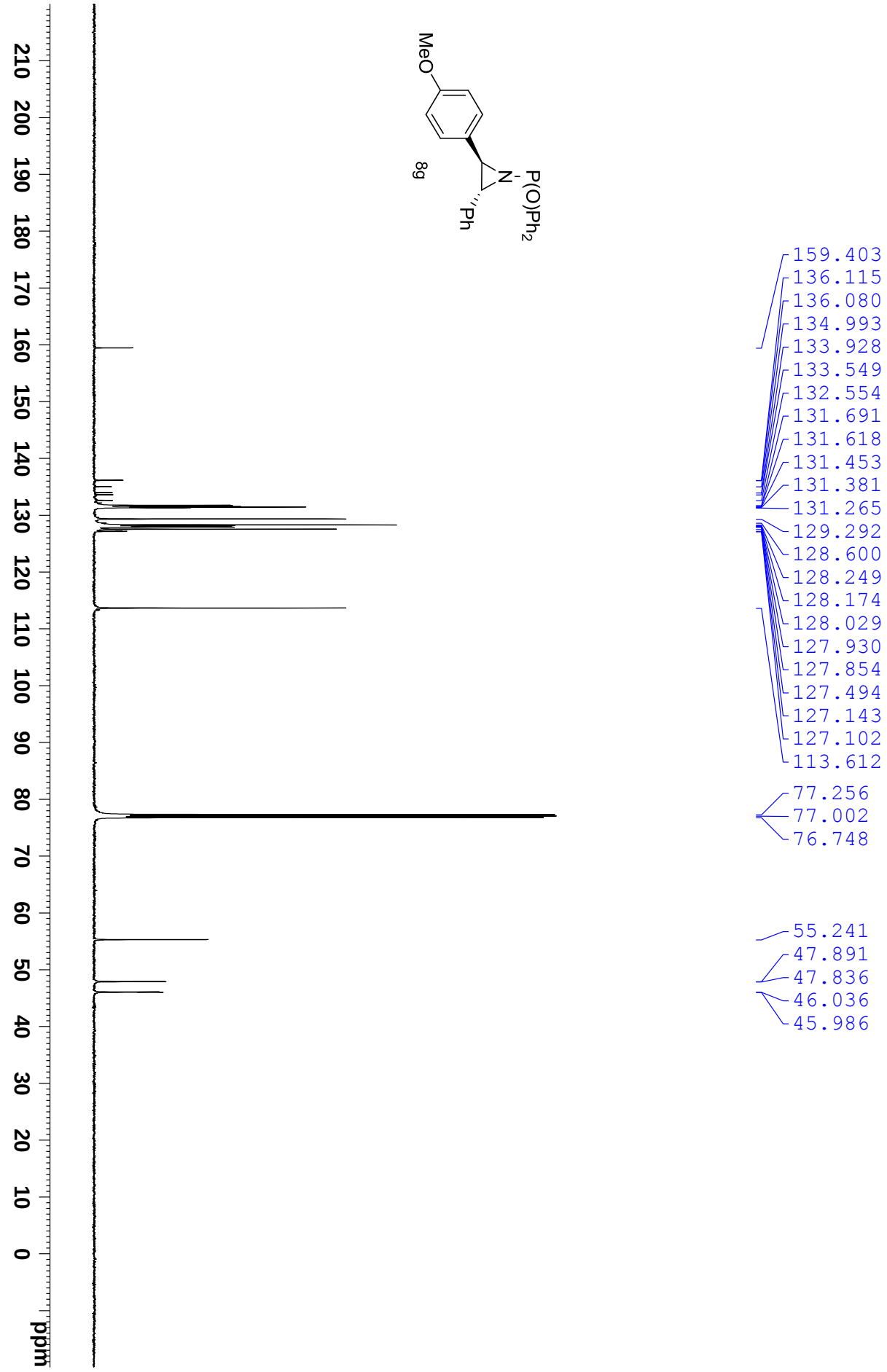
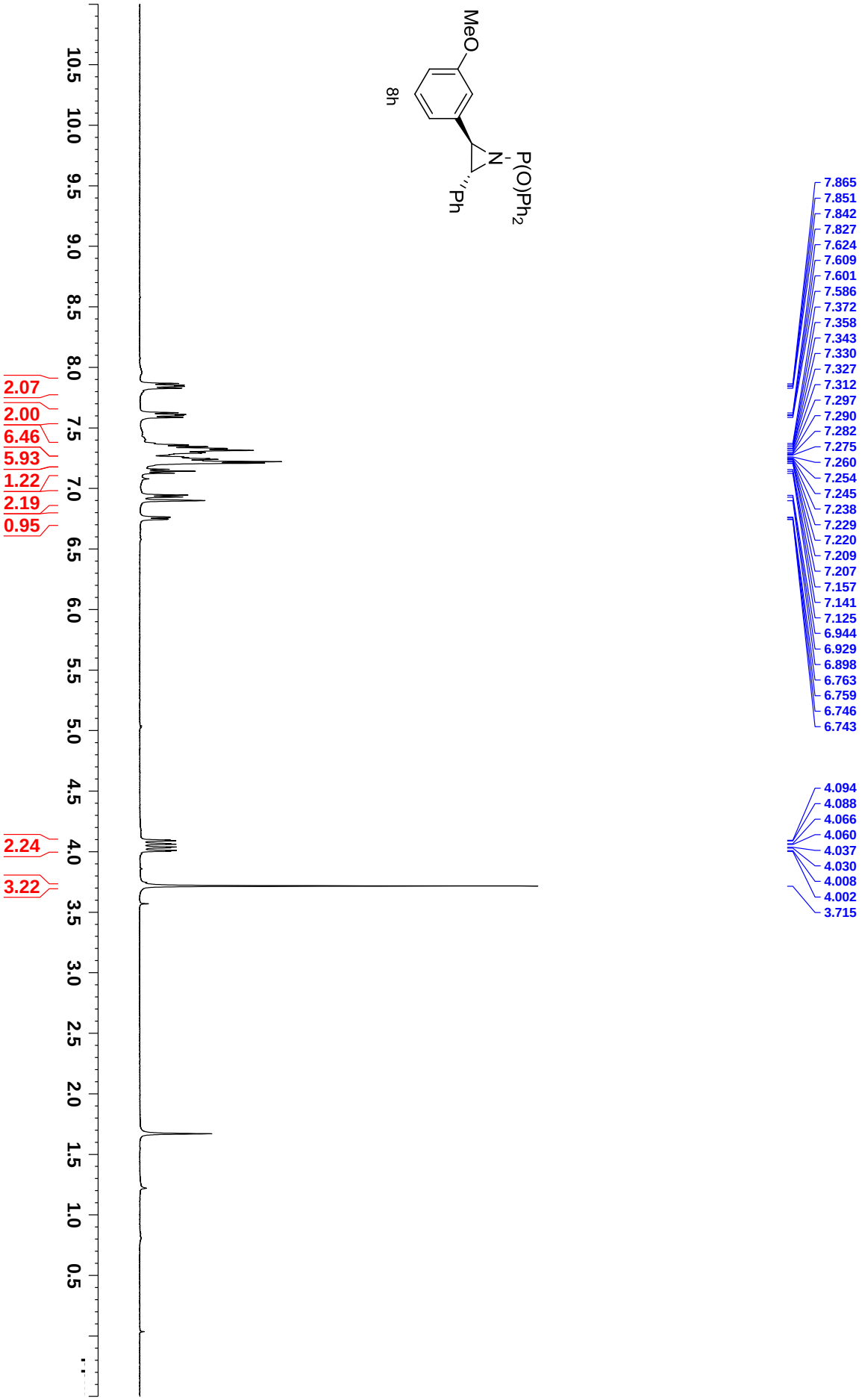
1.01
2.96




MeO
8h
N
P(O)Ph2
Ph
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6.46
5.93
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2.19
0.95
2.24
3.22
7.865
7.851
7.842
7.827
7.624
7.609
7.601
7.586
7.372
7.358
7.343
7.330
7.327
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7.275
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7.245
7.238
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7.220
7.209
7.207
7.157
7.141
7.125
6.944
6.929
6.898
6.763
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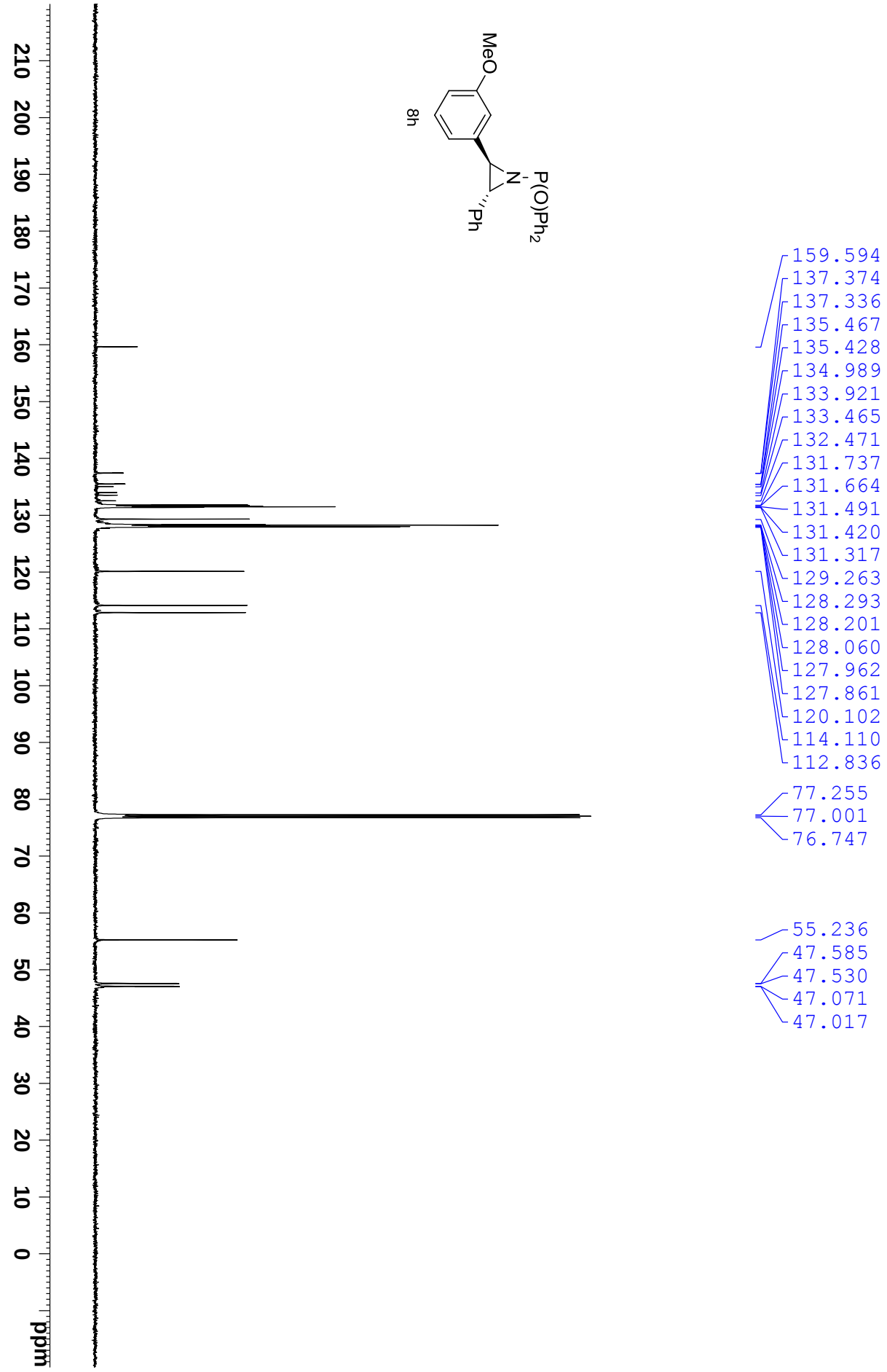

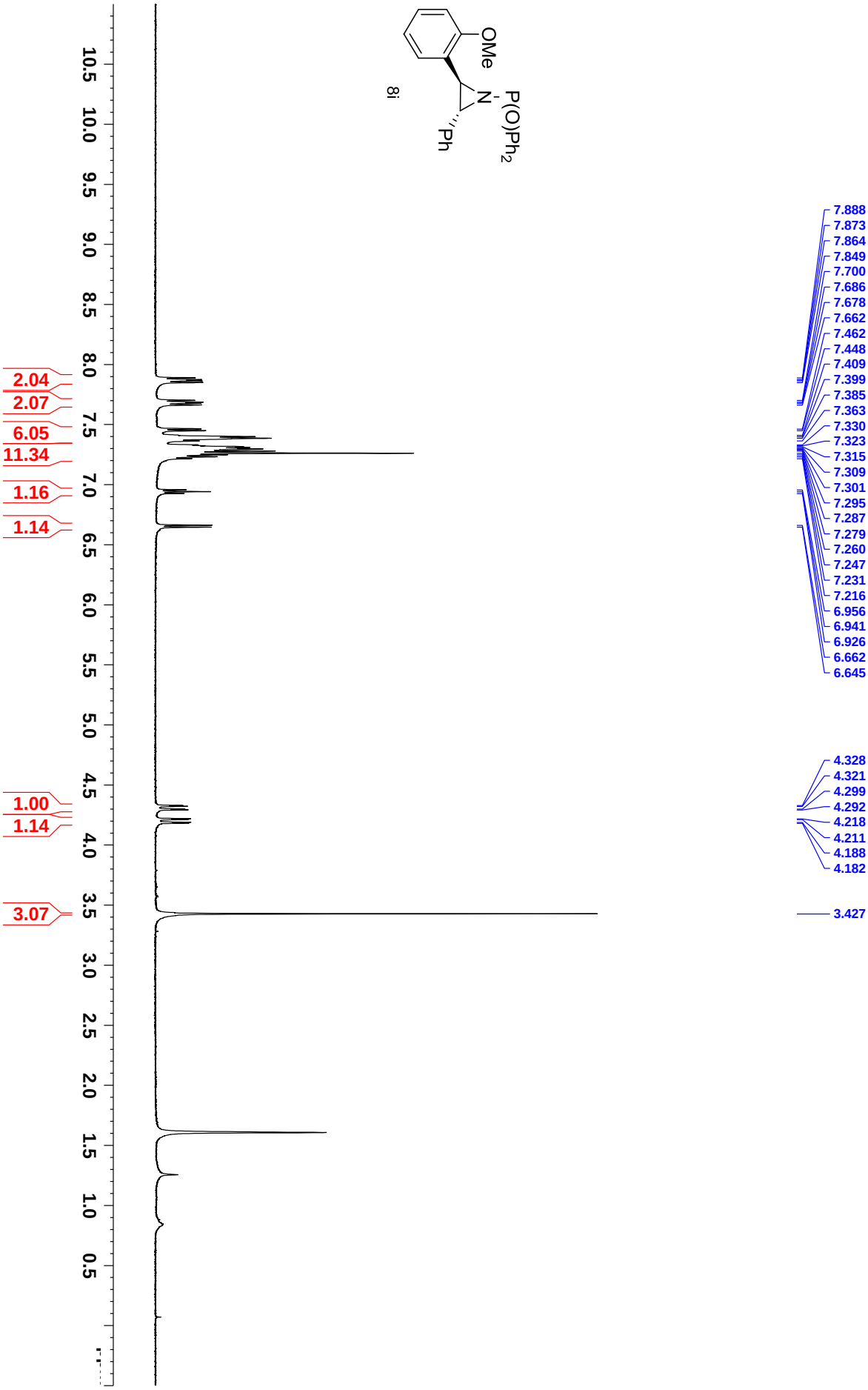
OMe
N
P(O)Ph2
Ph
8i
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7.864
7.849
7.700
7.686
7.678
7.662
7.462
7.448
7.409
7.399
7.385
7.363
7.330
7.323
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7.301
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7.287
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6.645
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4.321
4.299
4.292
4.218
4.211
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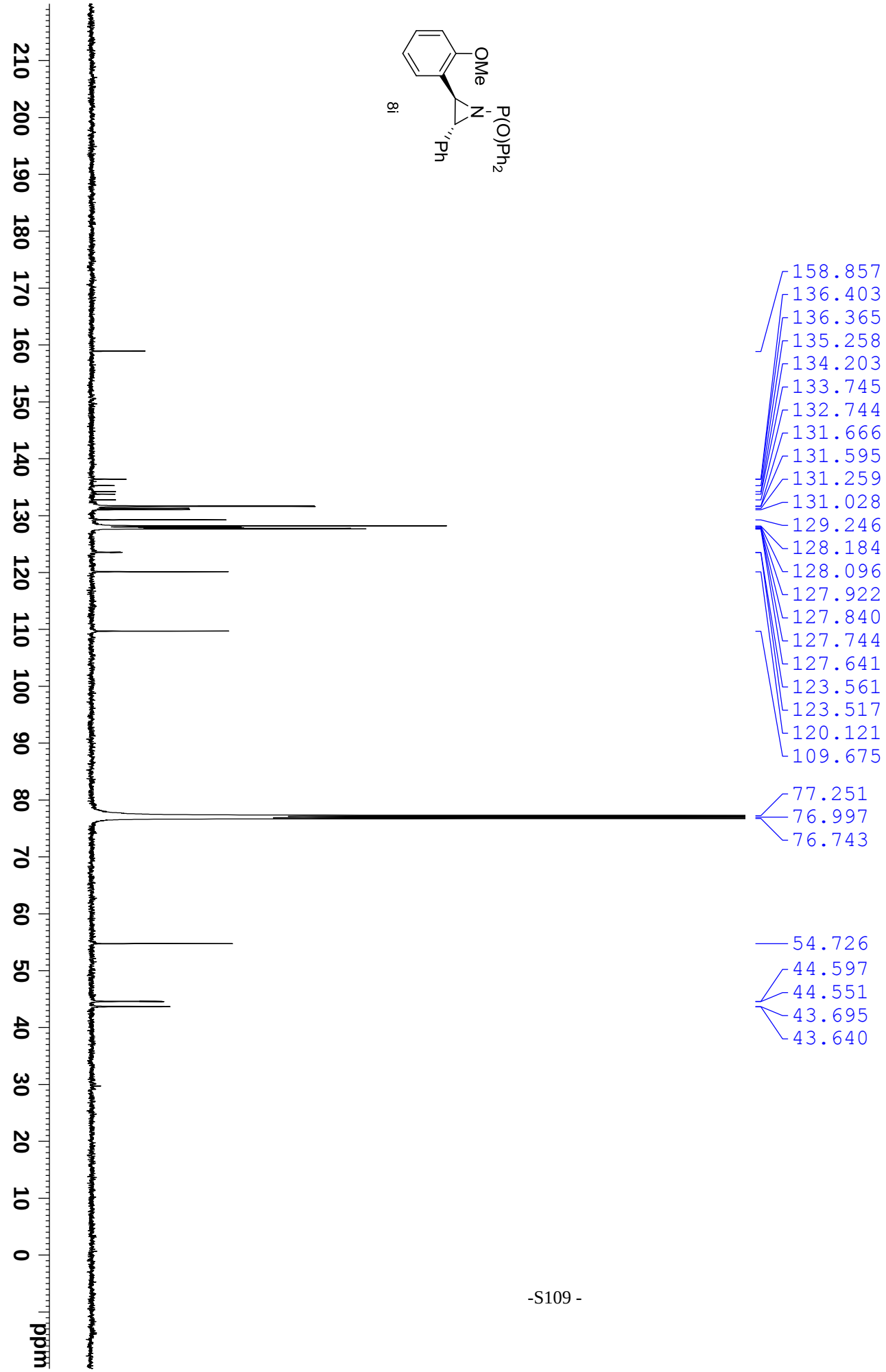
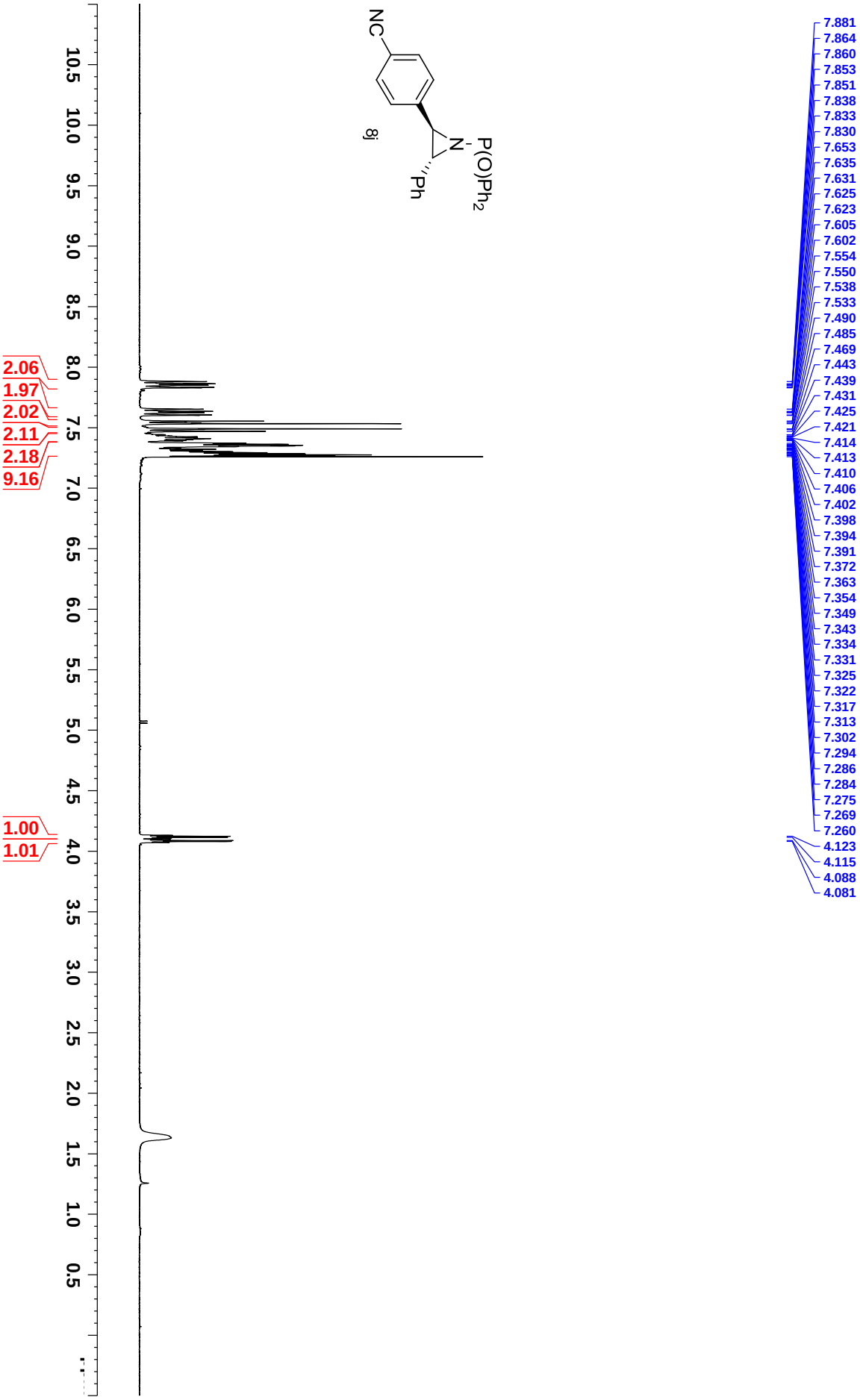
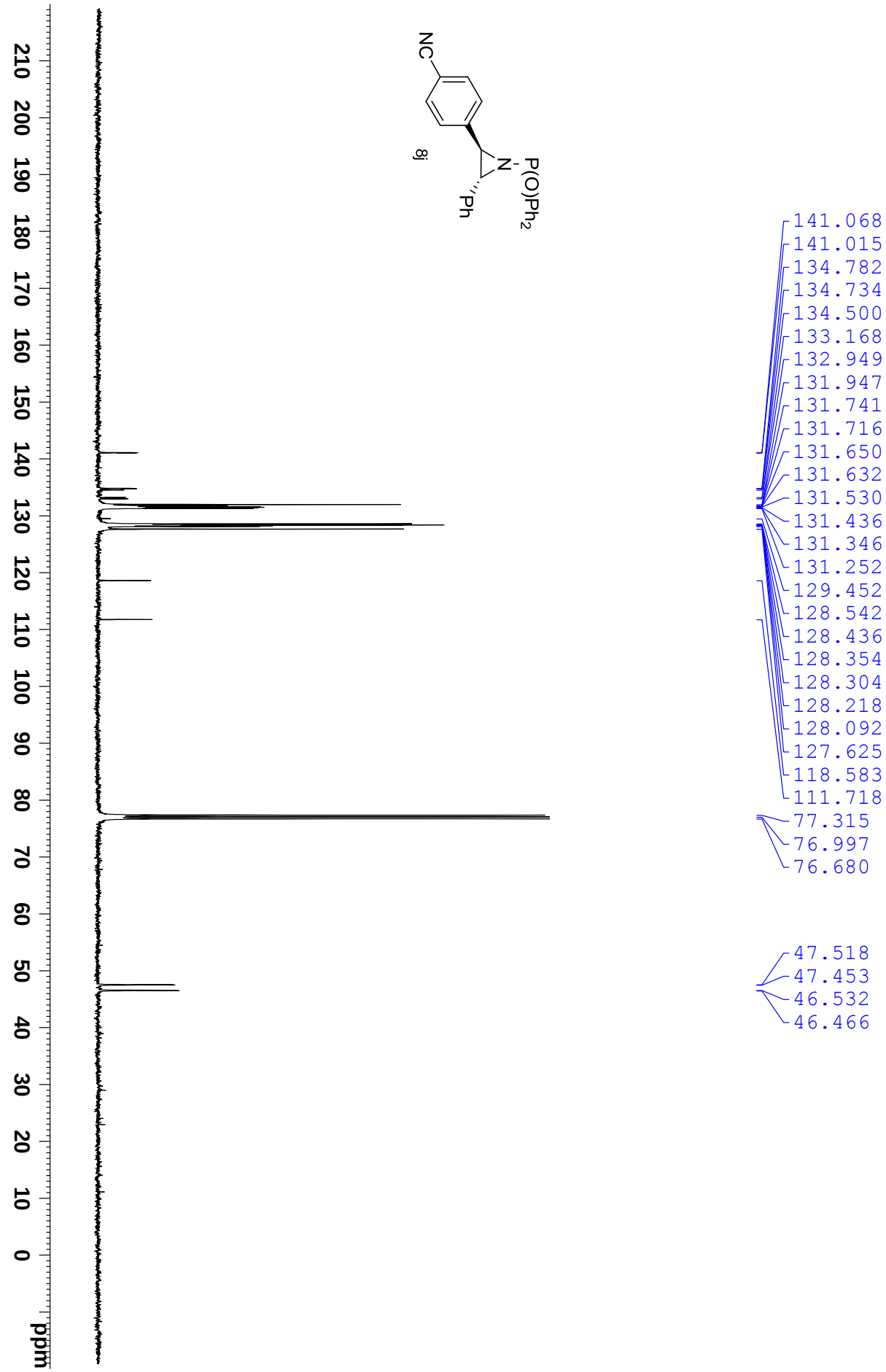
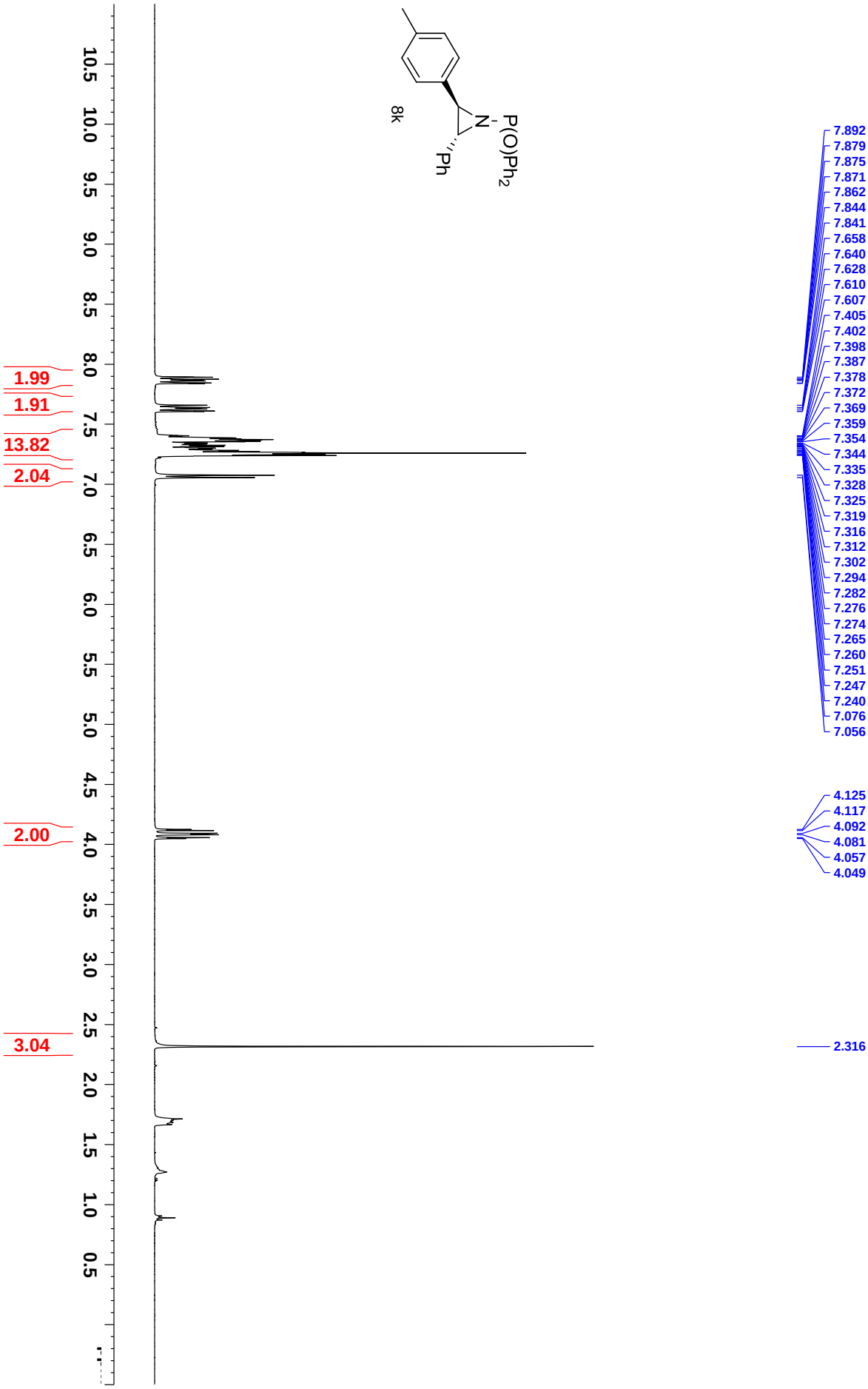
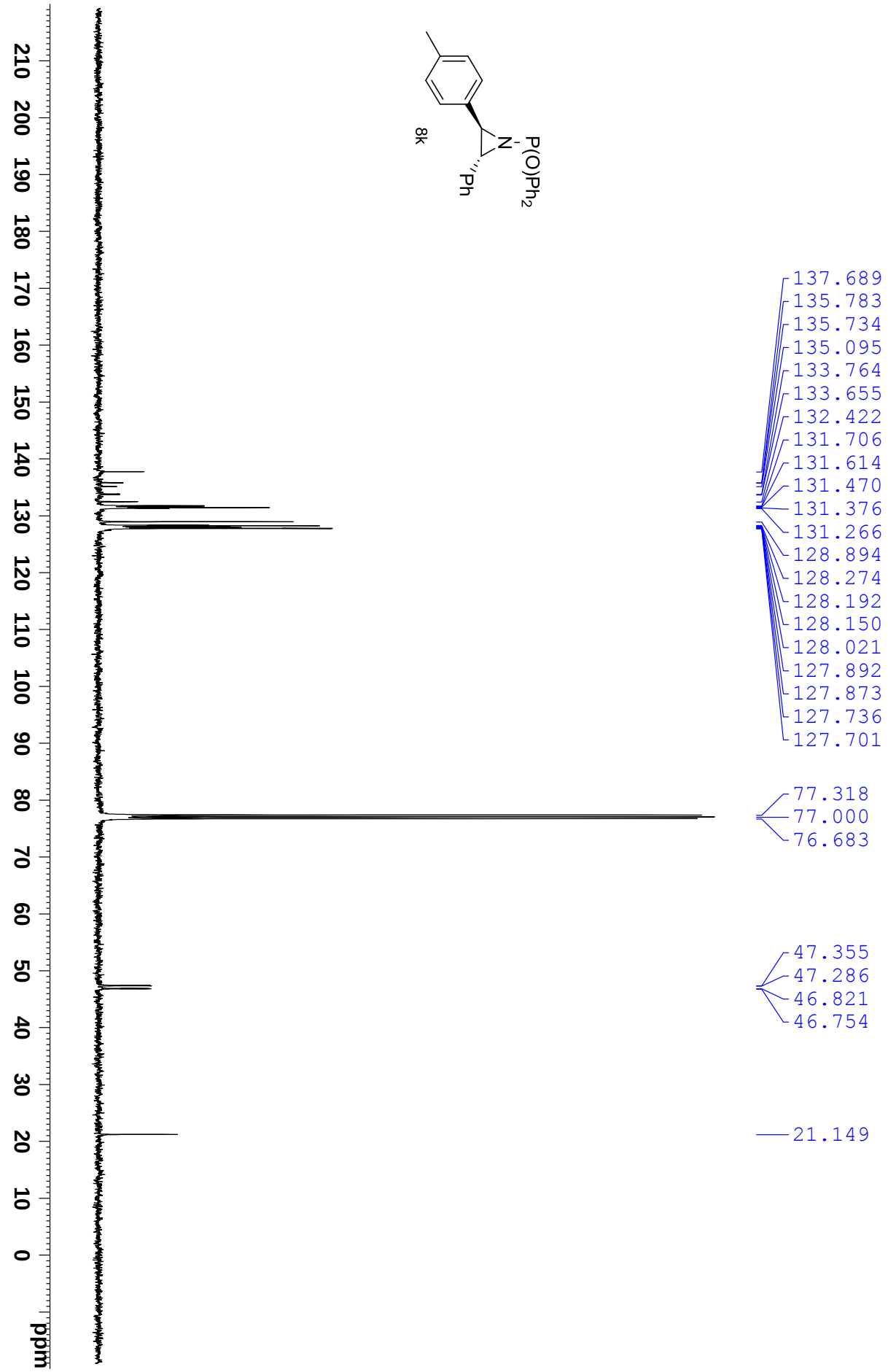
1.14
1.00
1.14
3.07

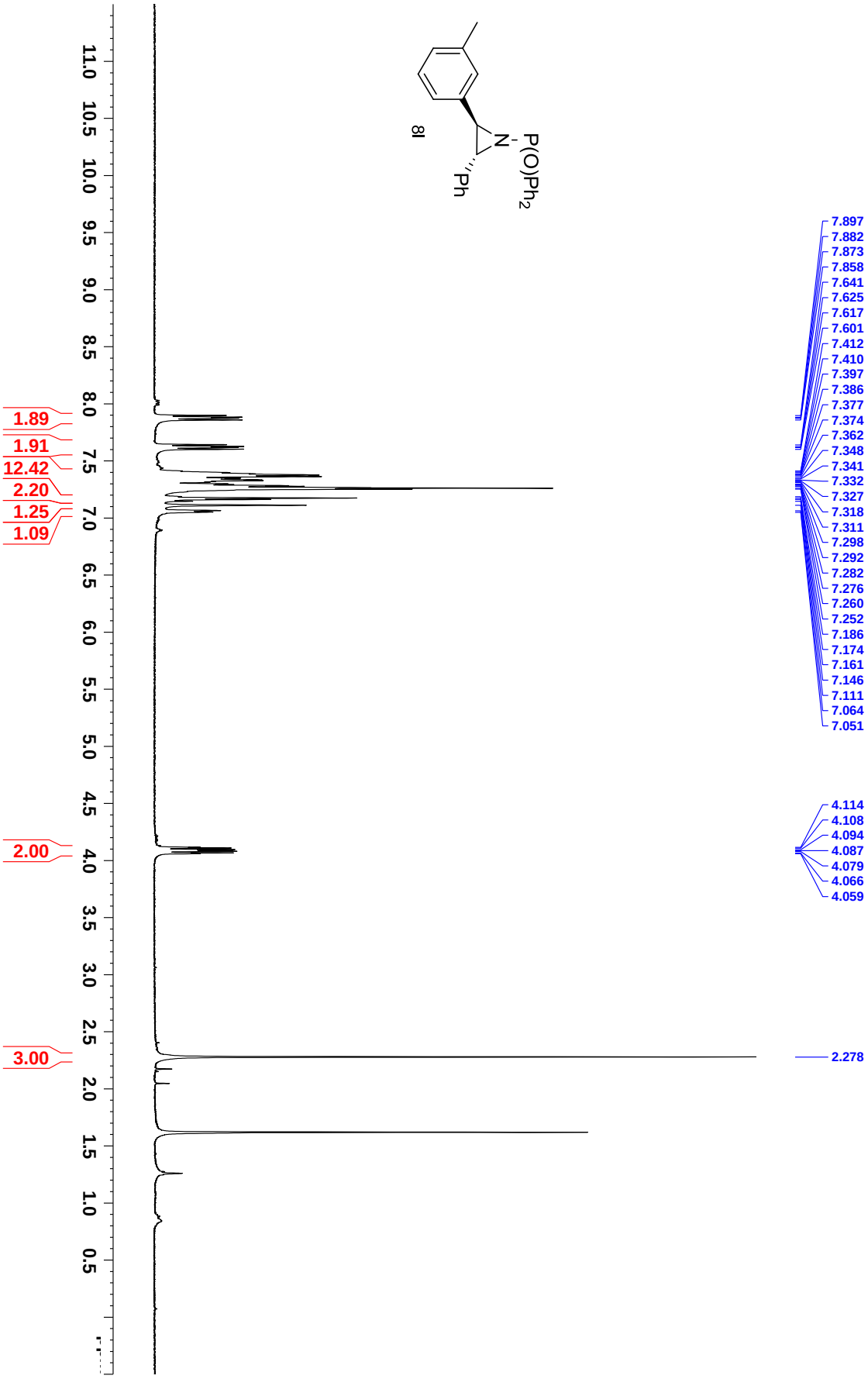











8l
P(O)Ph2
Ph
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1.91
12.42
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1.25
1.09
2.00
3.00
7.897
7.882
7.873
7.858
7.641
7.625
7.617
7.601
7.412
7.410
7.397
7.386
7.377
7.374
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7.186
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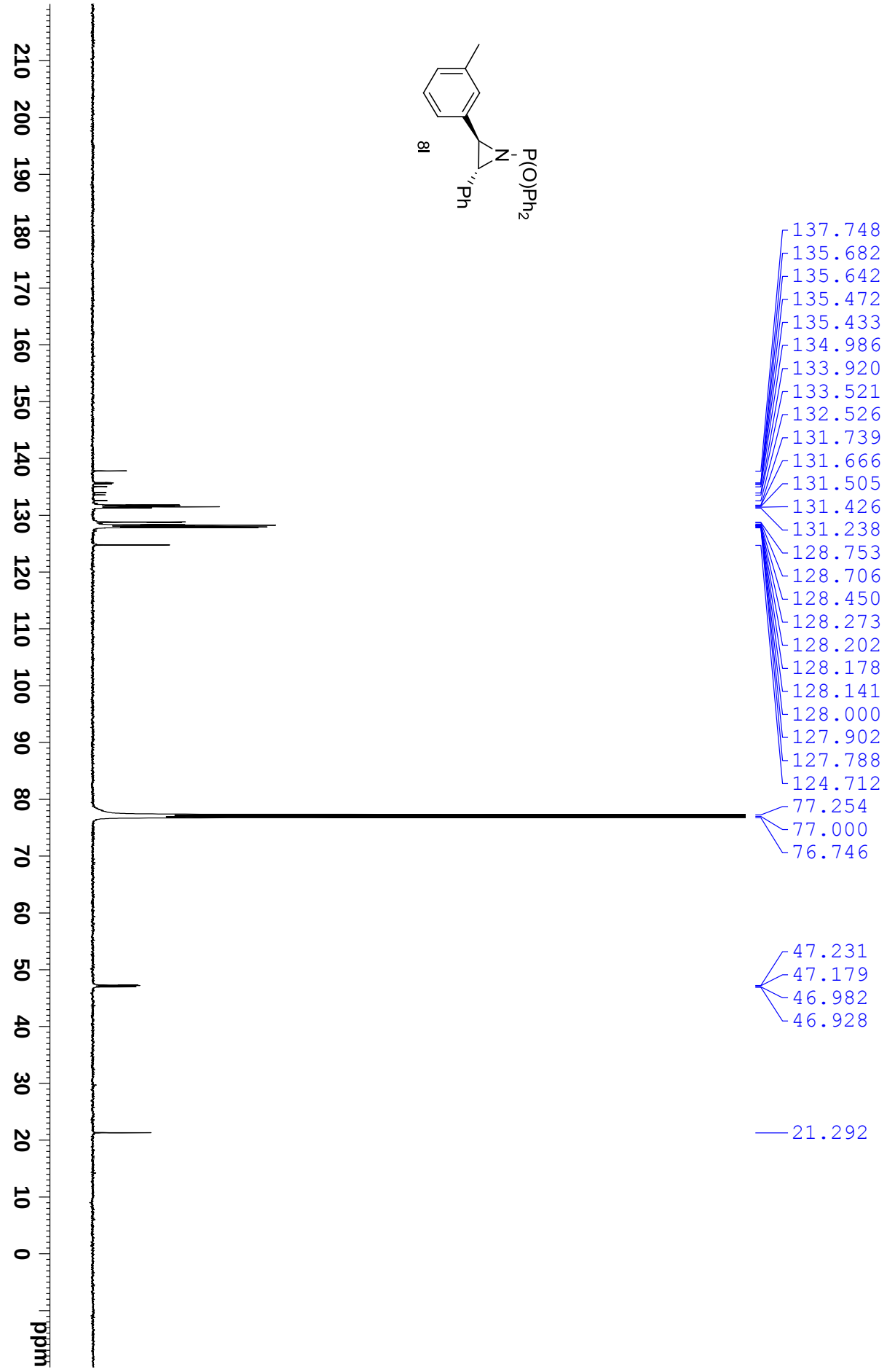
8l
P(O)Ph2
Ph
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135.472
135.433
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132.526
131.739
131.666
131.505
131.426
131.238
128.753
128.706
128.450
128.273
128.202
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128.141
128.000
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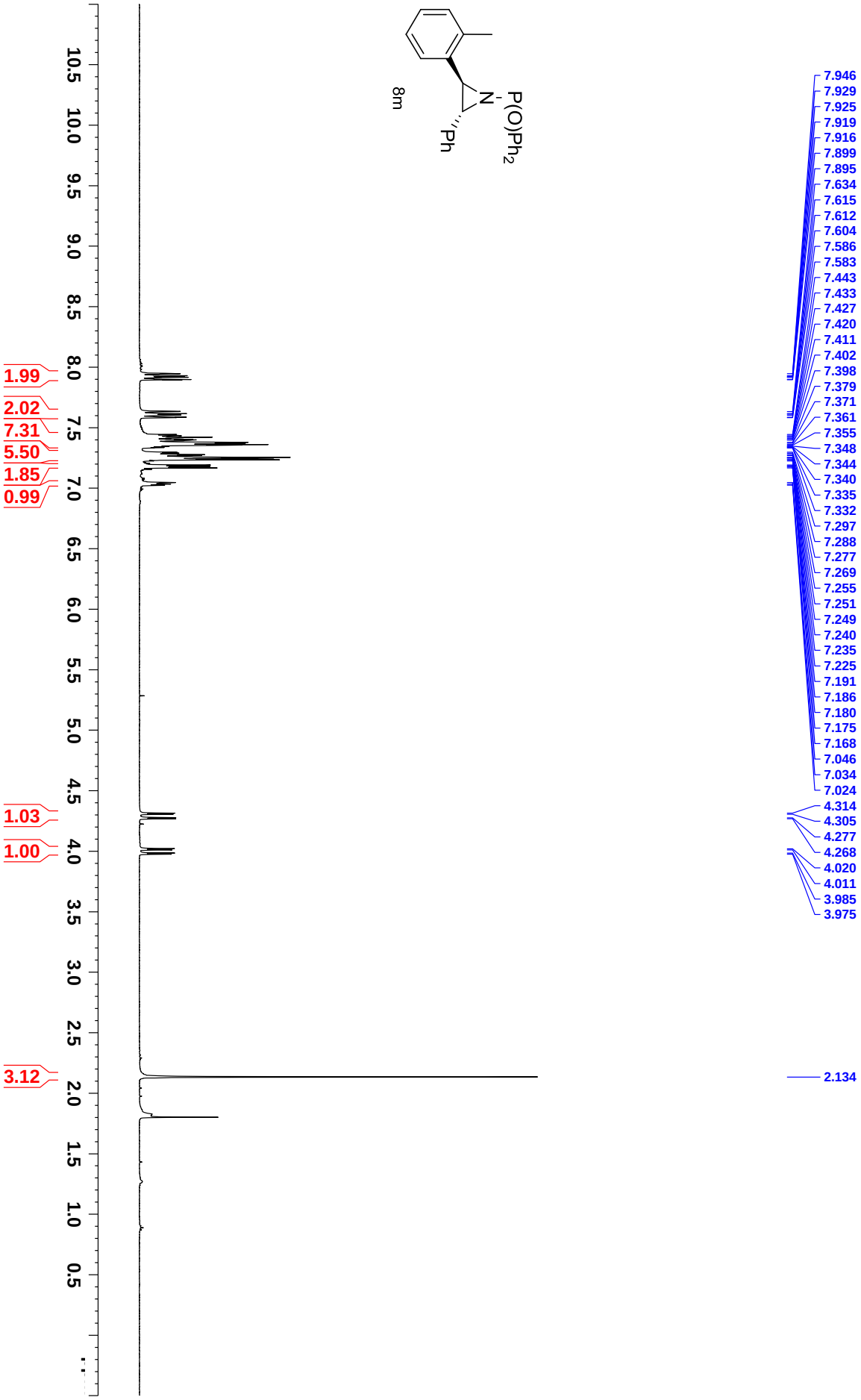
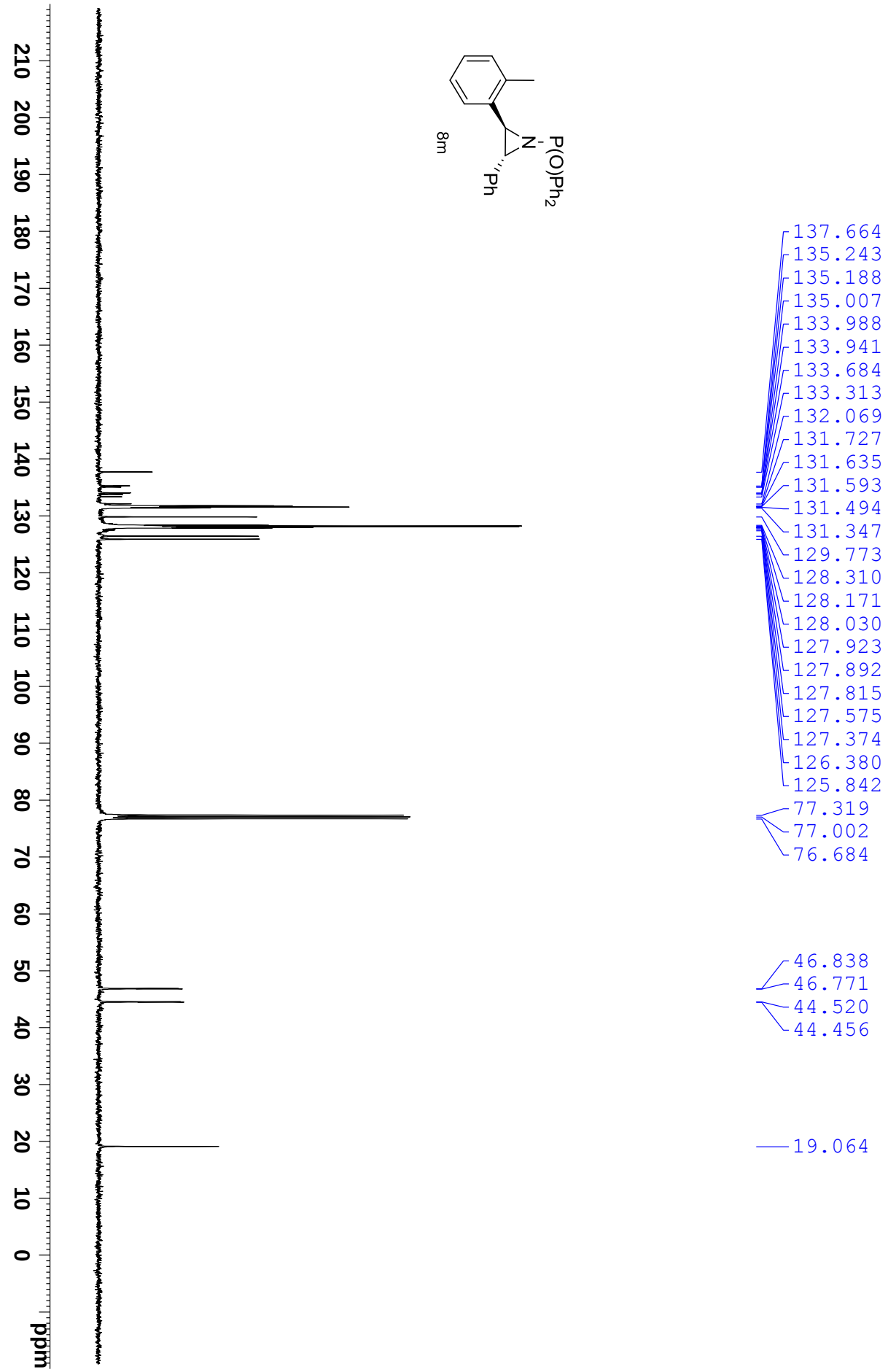
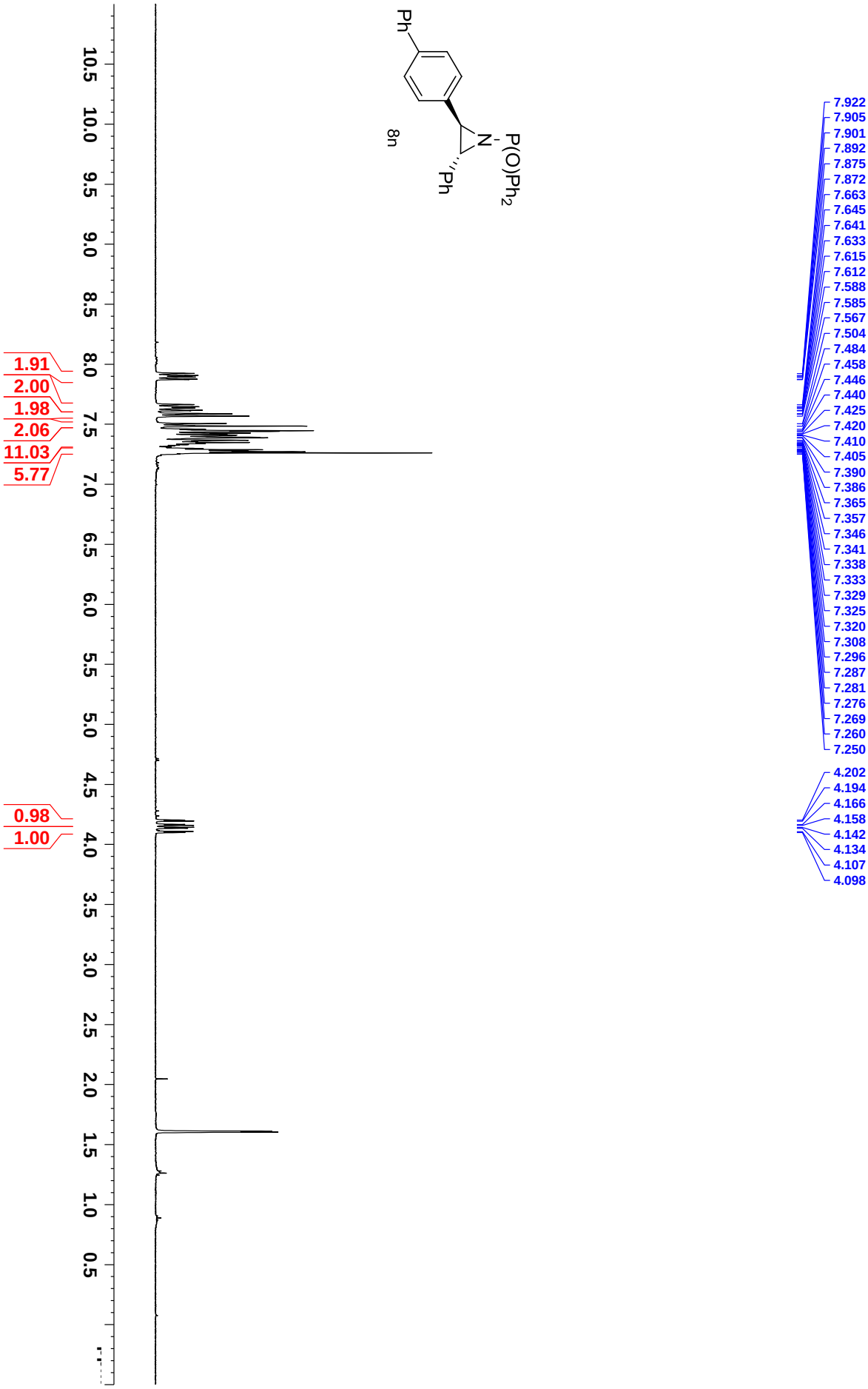
21.292
ppm






Ph
8n
N
P(O)Ph2
Ph
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1.98
2.06
11.03
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0.98
1.00
7.922
7.905
7.901
7.892
7.875
7.872
7.663
7.645
7.641
7.633
7.615
7.612
7.588
7.585
7.567
7.504
7.484
7.458
7.446
7.440
7.425
7.420
7.410
7.405
7.390
7.386
7.365
7.357
7.346
7.341
7.338
7.333
7.329
7.325
7.320
7.308
7.296
7.287
7.281
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7.260
7.250
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4.166
4.158
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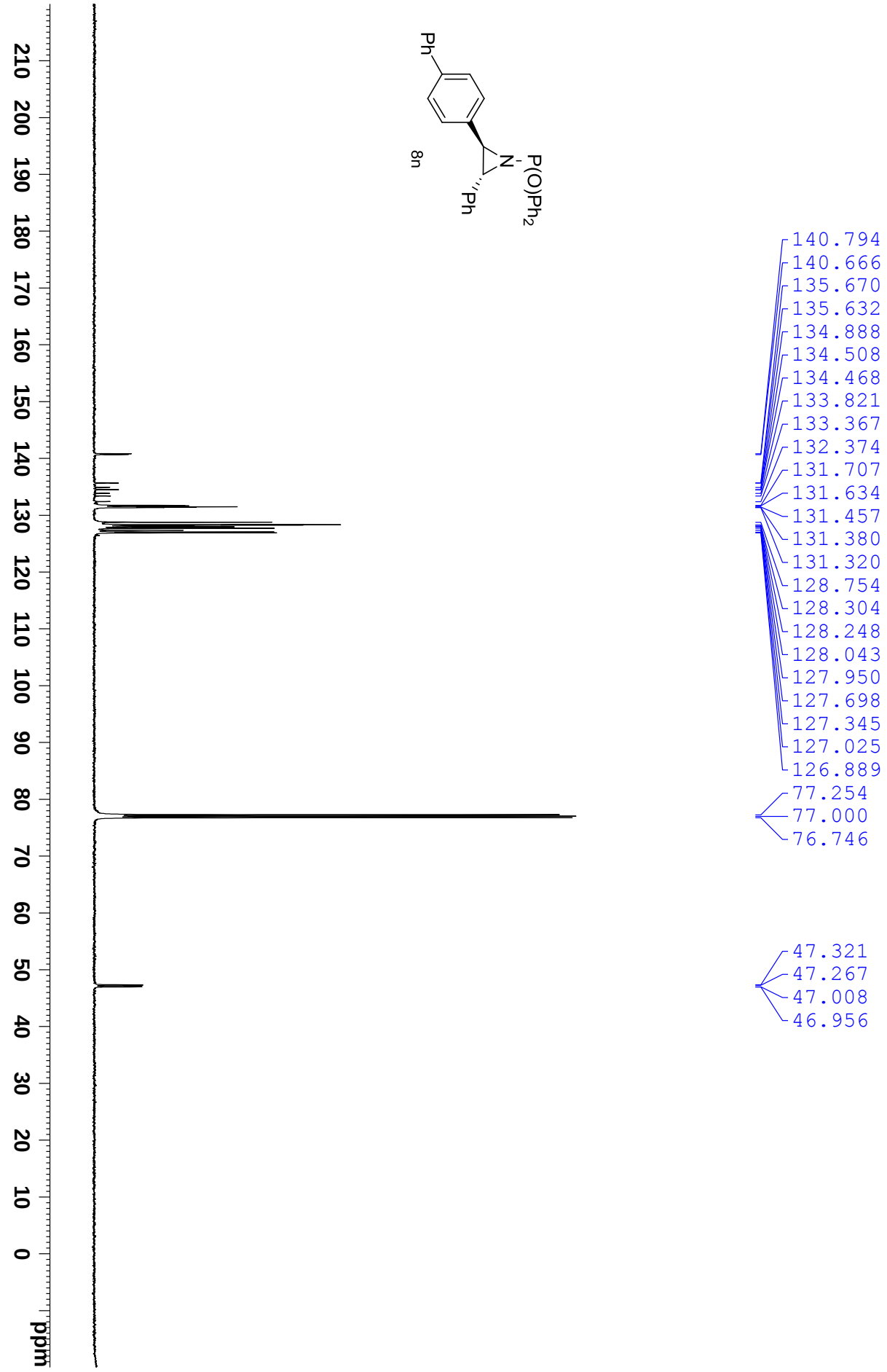
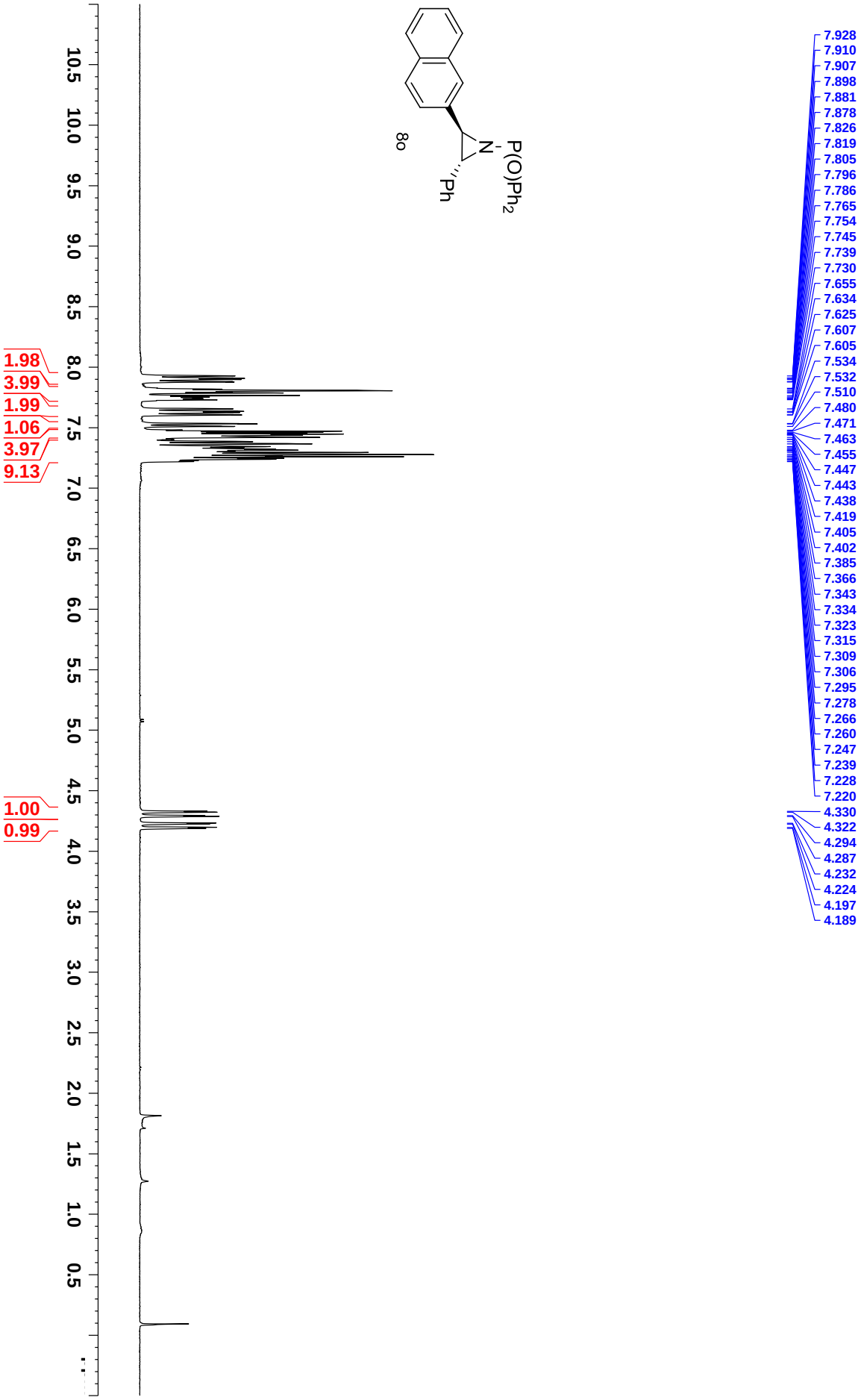
4.134
4.107
4.098




8o
N
P(O)Ph2
Ph
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3.99
1.99
1.06
3.97
9.13
1.00
0.99
7.928
7.910
7.907
7.898
7.881
7.878
7.826
7.819
7.805
7.796
7.786
7.765
7.754
7.745
7.739
7.730
7.655
7.634
7.625
7.607
7.605
7.534
7.532
7.510
7.480
7.471
7.463
7.455
7.447
7.443
7.438
7.419
7.405
7.402
7.385
7.366
7.343
7.334
7.323
7.315
7.309
7.306
7.295
7.278
7.266
7.260
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7.220
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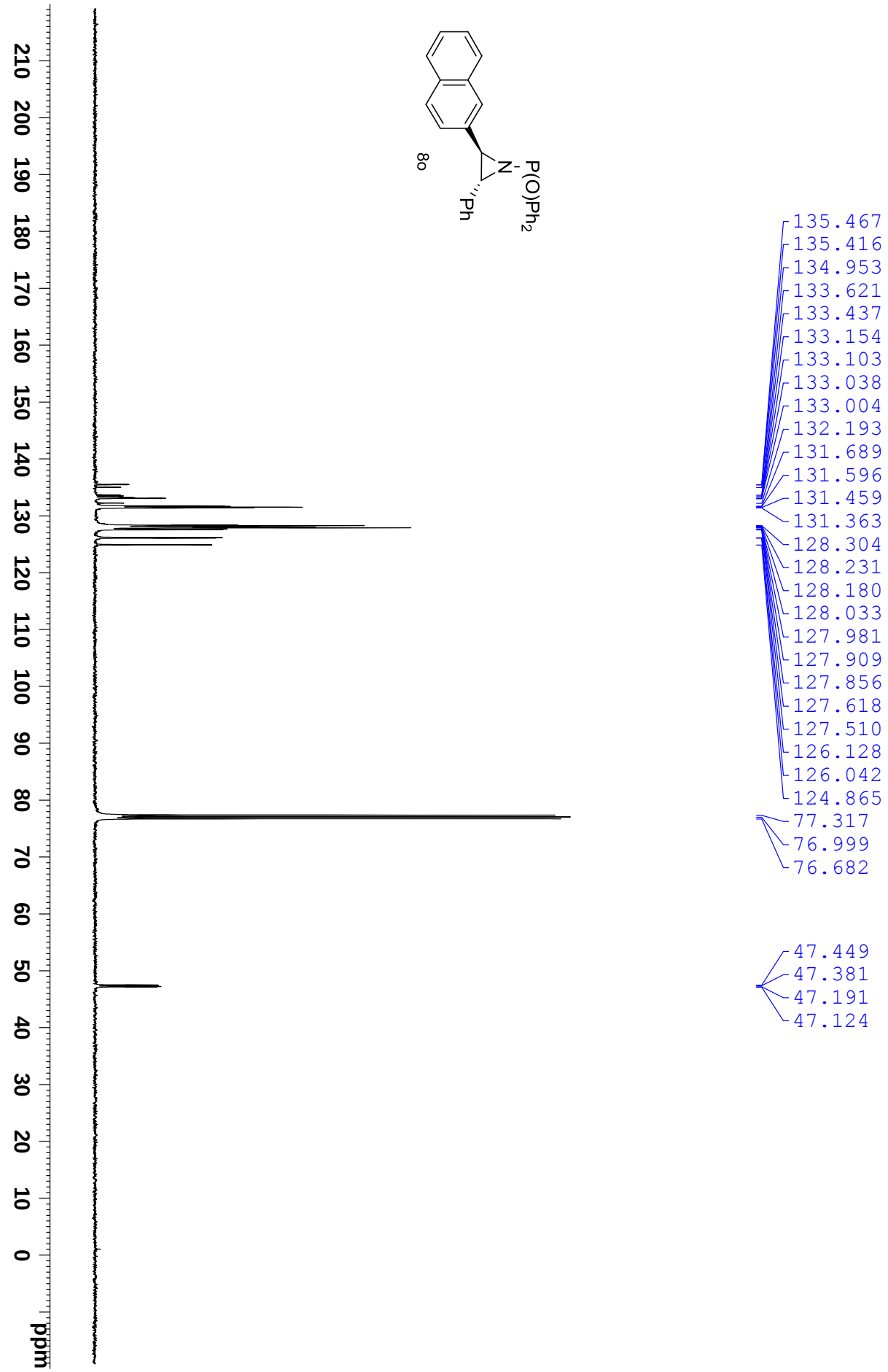
4.189


8o
P(O)Ph2
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133.621
133.437
133.154
133.103
133.038
133.004
132.193
131.689
131.596
131.459
131.363
128.304
128.231
128.180
128.033
127.981
127.909
127.856
127.618
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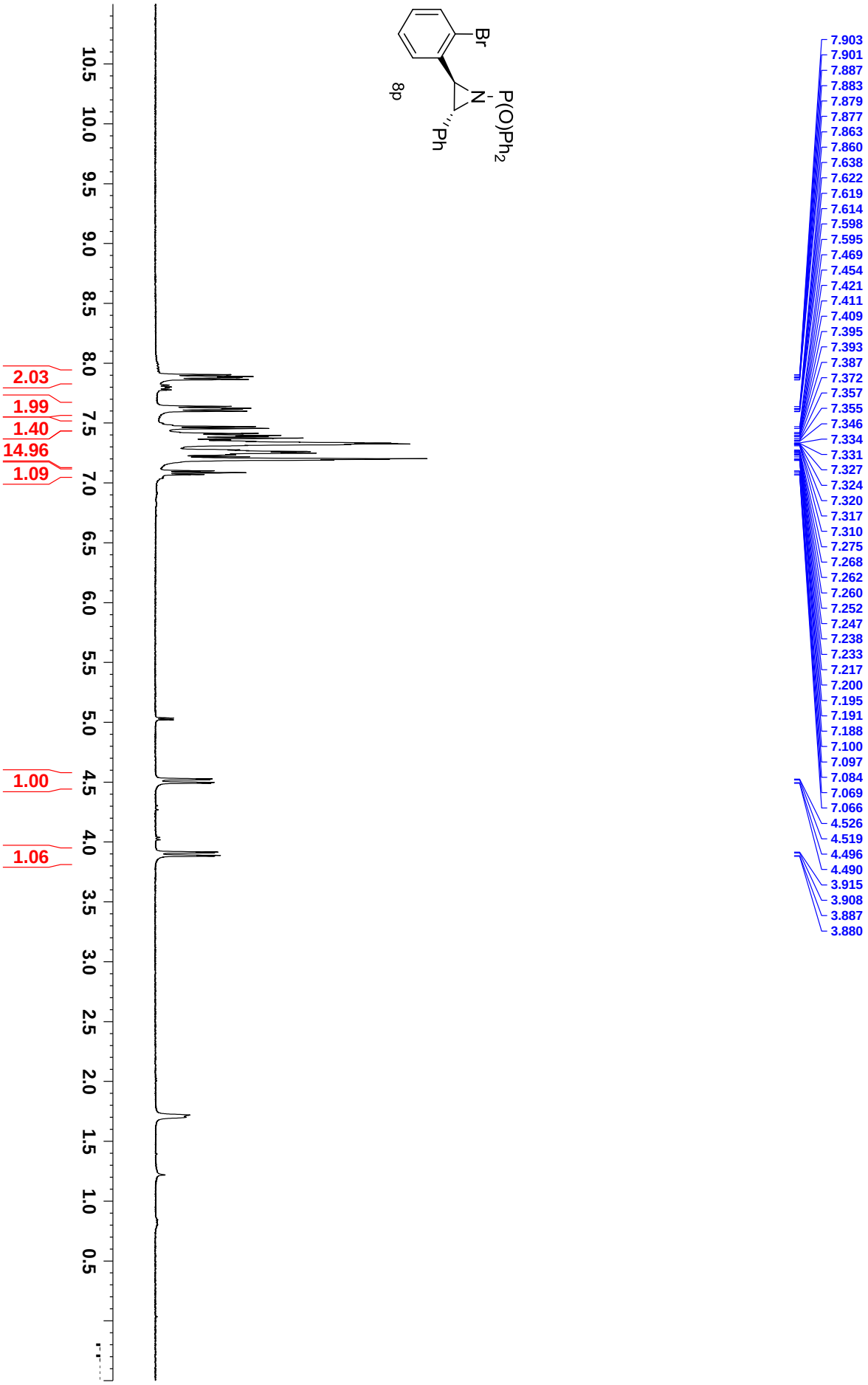
126.042
124.865
77.317
76.999
76.682
47.449
47.381
47.191
47.124
ppm


Br
P(O)Ph2
N
Ph
8p
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1.40
14.96
1.09
1.00
1.06
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7.901
7.887
7.883
7.879
7.877
7.863
7.860
7.638
7.622
7.619
7.614
7.598
7.595
7.469
7.454
7.421
7.411
7.409
7.395
7.393
7.387
7.372
7.357
7.355
7.346
7.334
7.331
7.327
7.324
7.320
7.317
7.310
7.275
7.268
7.262
7.260
7.252
7.247
7.238
7.233
7.217
7.200
7.195
7.191
7.188
7.100
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4.496
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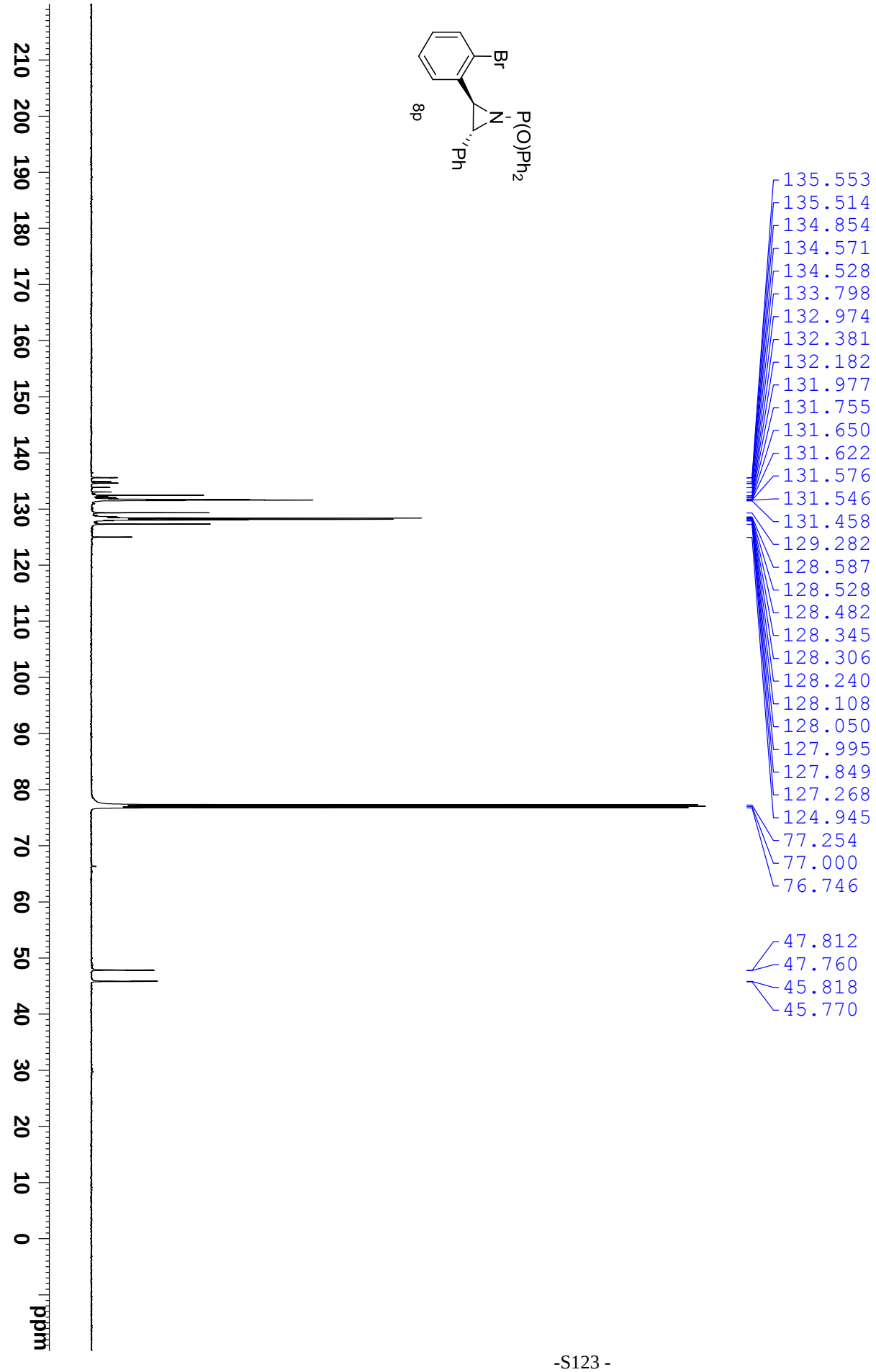
3.908
3.887
3.880


Br
8p
N
P(O)Ph2
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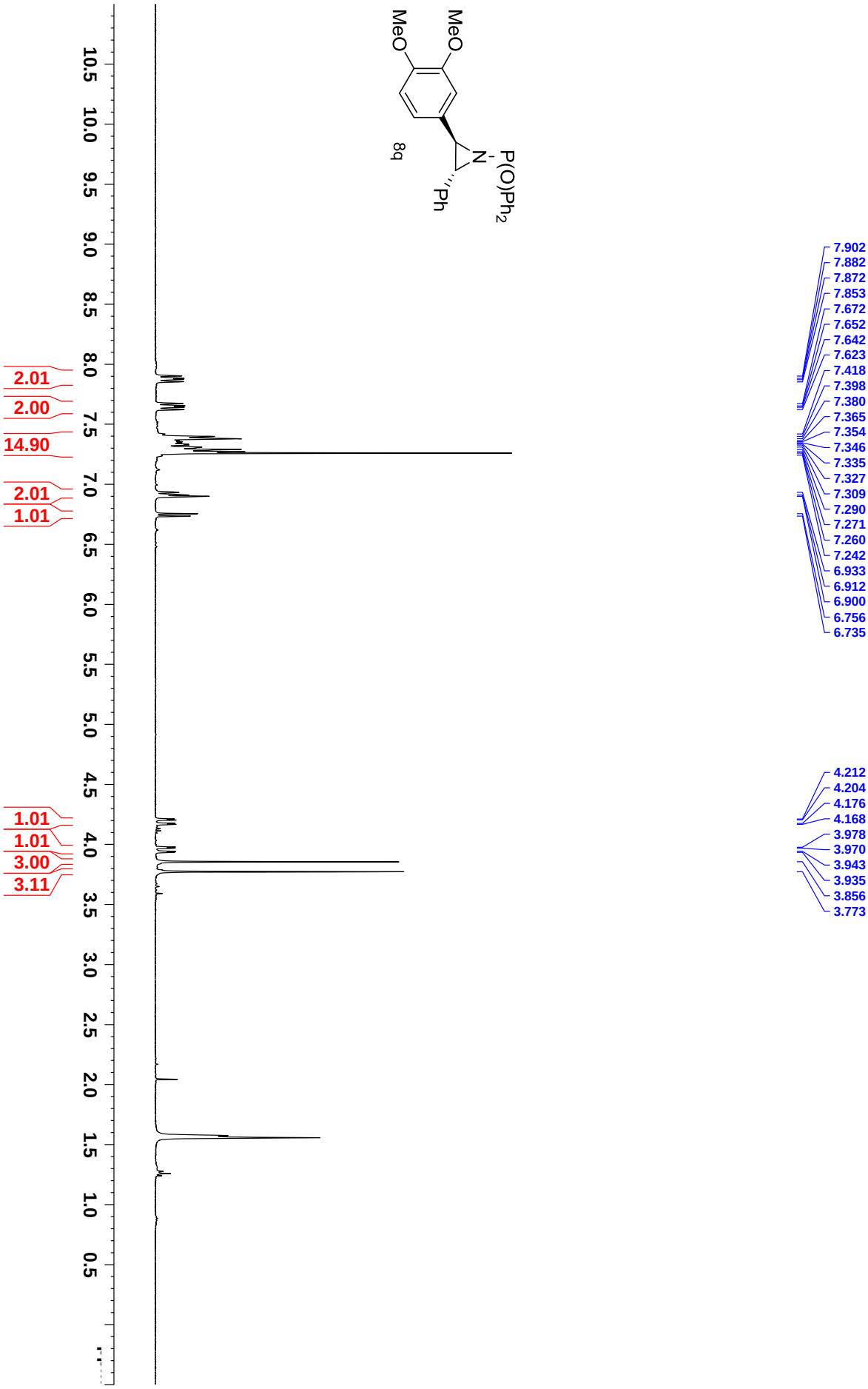
132.381
132.182
131.977
131.755
131.650
131.622
131.576
131.546
131.458
129.282
128.587
128.528
128.482
128.345
128.306
128.240
128.108
128.050
127.995
127.849
127.268
124.945
77.254
77.000
76.746
47.812
47.760
45.818
45.770
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm


MeO
MeO
P(O)Ph2
Ph
8q
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7.853
7.672
7.652
7.642
7.623
7.418
7.398
7.380
7.365
7.354
7.346
7.335
7.327
7.309
7.290
7.271
7.260
7.242
6.933
6.912
6.900
6.756
6.735
4.212
4.204
4.176
4.168
3.978
3.970
3.943
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3.856
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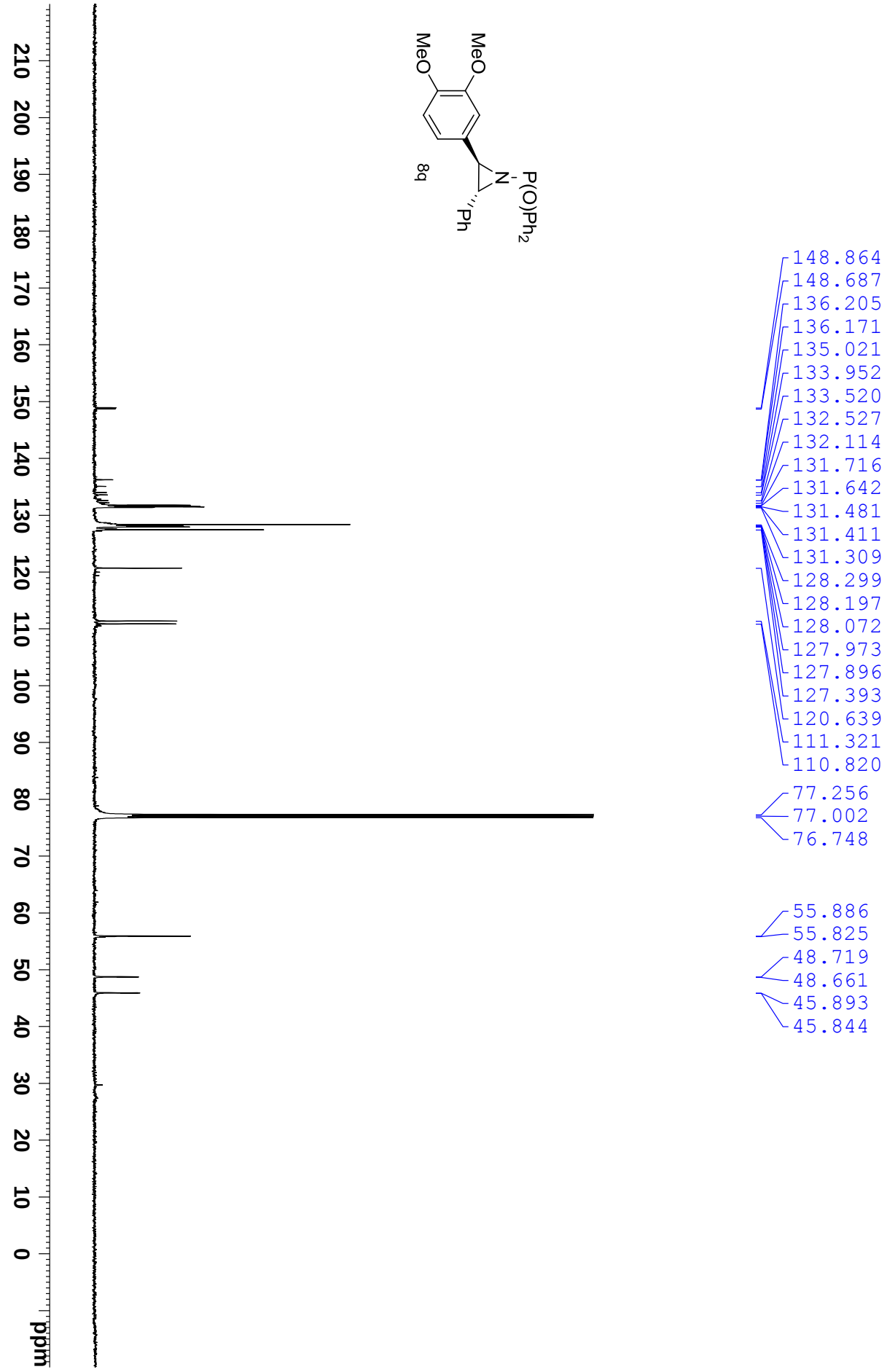
2.00
14.90
2.01
1.01
1.01
1.01
3.00
3.11


MeO
MeO
P(O)Ph2
Ph
8q
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148.687
136.205
136.171
135.021
133.952
133.520
132.527
132.114
131.716
131.642
131.481
131.411
131.309
128.299
128.197
128.072
127.973
127.896
127.393
120.639
111.321
110.820
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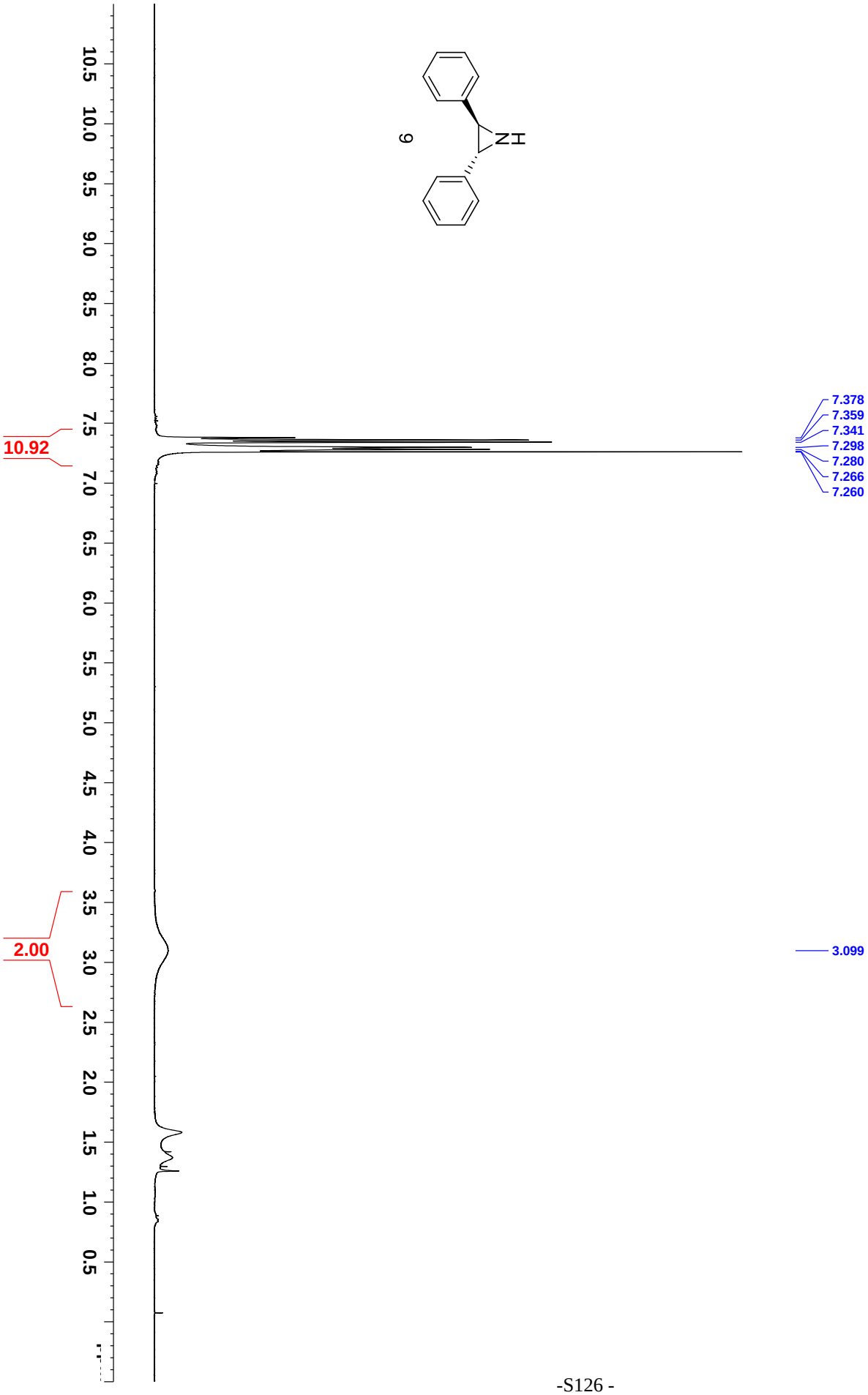
77.002
76.748
55.886
55.825
48.719
48.661
45.893
45.844
ppm


9
NH
10.92
2.00
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7.298
7.280
7.266
7.260
3.099
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5