Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Dirhodium(II)-Catalyzed Diamination Reaction via a Free Radical Pathway

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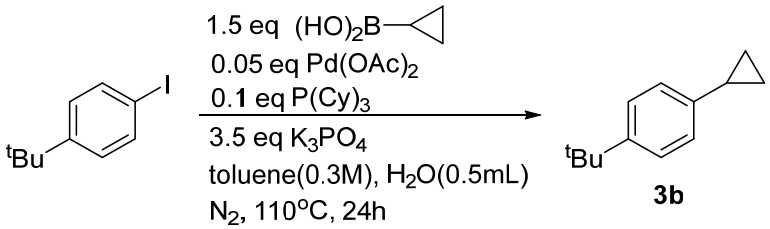
1. General experimental details

All reactions requiring anhydrous conditions were conducted by standard procedures under argon atmosphere. Arylcyclopropanes **3** were prepared according to the previous literature.[1] Substrates (**3b-3d, 3f-3o**) was prepared as described and the NMR spectroscopy were consisted with the data reported.[1] $Rh_2(esp)_2$ was prepared as described.[3] Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. Yields reported are for isolated yields. ^1H and ^{13}C NMR spectra were obtained on a Bruker 400 spectrometer at 400 MHz and 100 MHz. ^{19}F NMR spectra were obtained on a Bruker 400 spectrometer at 376 MHz. The ^1H NMR (400 MHz) chemical shifts were recorded relative to $CDCl_3$ as the internal reference ($CDCl_3$: δH = 7.26 ppm). The ^{13}C NMR (100 MHz) chemical shifts were given using $CDCl_3$ as the internal standard ($CDCl_3$: δC = 77.00 ppm). ^1H NMR data are reported as: chemical shift (ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, hept = heptet), and coupling constant (Hz). IR spectra were recorded on a Shimadzu IR-Tracer 100. UV/visible spectroscopy spectra were carried out on Shimadzu UV-3600 and Shimadzu UV-2600i. High resolution mass spectrometric measurements were carried out using a Bruker autoflex MALDI-TOF mass spectrometer and Waters-Q-TOF Premier (ESI).

2. General procedure for the preparation of cyclopropane substrate 3 (3b as the example)[1]



Tricyclohexylphosphine (0.056g, 0.25mmol), palladium(II) acetate (0.14 g, 0.5mmol), cyclopropylboronic acid (0.56 g, 6.5 mmol) and K_3PO_4 (3.7 g, 17.5 mmol) were added

to a flame-dried three-neck flask equipped with a stir bar and a reflux condenser under N_2. Toluene (20 mL) and H_2O (5 mL) were added to the reaction flask, and the mixture was stirred. 1-(tert-butyl)-4-iodobenzene (1.1 g, 5 mmol) was then added via syringe. The reaction mixture was placed into an oil bath and stirred at 110 ℃ and left to stir for 24 h. Upon completion, the reaction mixture was poured into a separatory funnel, diluted with ethyl acetate and washed with water twice. The organic layer was dried with Na_2SO_4, concentrated in vacuo and purified by column chromatography to give the pure product **3b**.

3. General procedure for 1,2-Diamine Derivatives (2a-t)

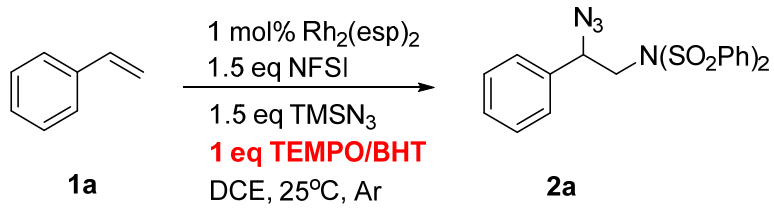
To a 25 mL tube equipped with a stir bar was charged with $Rh_2(esp)_2$ (0.004 mmol) and NFSI (0.6 mmol). The tube was evacuated and backfilled with argon for three times. 1,2-Dichloorethaan (2 mL), **1** substrates (0.4 mmol) and $TMSN_3$ (0.6 mmol) was added sequentially via syringe and the mixture was stirred at rt. The reaction was monitored by TCL. After the reaction was finished, the reaction mixture was quenched with water, extracted with dichloromethane. The combined organic extracts were dried over Na_2SO_4, concentrated in vacuum. The resulting residue was purified by silica gel column chromatography to afford the desired products **2**.

4. General procedure for 1,3-Diamine Derivatives (4a-o)

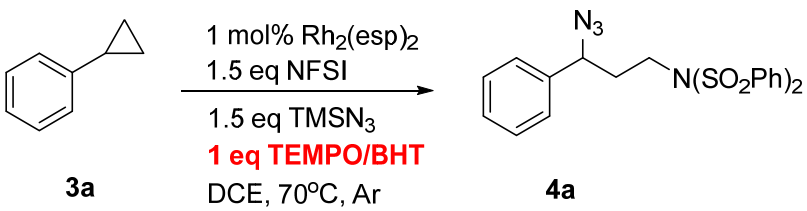
To a 25 mL tube equipped with a stir bar was charged with $Rh_2(esp)_2$ (0.004 mmol) and NFSI (0.6 mmol). The tube was evacuated and backfilled with argon for three times. 1,2-Dichloorethaan (2 mL), **3** substrates (0.4 mmol) and $TMSN_3$ (0.6 mmol) was added sequentially via syringe and the mixture was stirred at 70 ℃. The reaction was monitored by TCL. After the reaction was finished, the tube was then removed from

the oil bath and allowed to cool to room temperature. the reaction mixture was quenched with water, extracted with dichloromethane. The combined organic extracts were dried over Na_2SO_4, concentrated in vacuum. The resulting residue was purified by silica gel column chromatography to afford the desired products **4**.

5. Mechanism Experiments



To a 25 mL tube equipped with a stir bar was charged with $Rh_2(esp)_2$ (0.004 mmol), NFSI (0.6 mmol), 2,2,6,6-Tetramethyl-1-piperinedinyloxy (62 mg, 0.4 mmol) or 2,6-di-tert-butyl-4-methylphenol (BHT) (87.5 mg, 0.4 mmol). The tube was evacuated and backfilled with argon for three times. 1,2-Dichloorethaan (2 mL), **1a** substrates (0.4 mmol) and $TMSN_3$ (0.6 mmol) was added sequentially via syringe and the mixture was stirred at 25 ℃. The reaction was monitored by TCL. After the reaction was finished, the reaction mixture was quenched with water, extracted with dichloromethane. The combined organic extracts were dried over Na_2SO_4, concentrated in vacuum. The resulting residue was purified by silica gel column chromatography to afford the desired products **2a**.

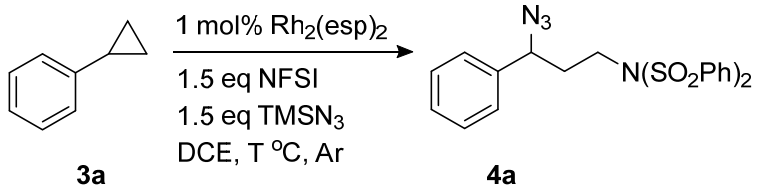


To a 25 mL tube equipped with a stir bar was charged with $Rh_2(esp)_2$ (0.004 mmol), NFSI (0.6 mmol), 2,2,6,6-Tetramethyl-1-piperinedinyloxy (62 mg, 0.4 mmol) or 2,6-

di-tert-butyl-4-methylphenol (BHT) (87.5 mg, 0.4 mmol). The tube was evacuated and backfilled with argon for three times. 1,2-Dichloorethaan (2 mL), **3a** substrates (0.4 mmol) and $TMSN_3$ (0.6 mmol) was added sequentially via syringe and the mixture was stirred at 70 ℃. The reaction was monitored by TCL. After the reaction was finished, the reaction mixture was quenched with water, extracted with dichloromethane. The combined organic extracts were dried over Na_2SO_4, concentrated in vacuum. The resulting residue was purified by silica gel column chromatography to afford the desired products **4a**.

6. Reaction Optimization

Supplementary Table 1: Reaction temperature screening of the 1,3-amination of phenylcyclopropane [a]



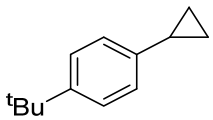
3a **4a**

Entry	T(°C)	Time(h)	Yield[b](%)
1	25	1	46
2	50	0.5	69
3	70	0.25	80(78)

[a] All reactions were performed with **3a** (0.4 mmol), $Rh_2(esp)_2$ (0.004 mmol), NFSI (1.5 equiv, 0.6 mmol), $TMSN_3$ (1.5 equiv, 0.6 mmol) and DCE (2 mL) under argon. [b] Yield of **4a**, determined by ^1H NMR yield with CH_2Br_2 as the internal standard. Parentheses indicate the isolated yield.

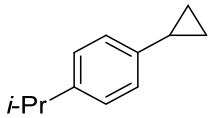
7. Characterization data of the substrates and isolated products

(a) Characterization data of selected substrates 3



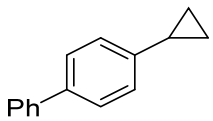
3b

1-cyclopropyl-4-(tert-butyl)benzene (3b)[1a]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.27 (m, 2H), 7.05 – 7.01 (m, 2H), 1.91 – 1.85 (m, 1H), 1.31 (s, 9H), 0.96 – 0.91 (m, 2H), 0.71 – 0.67 (m, 2H).



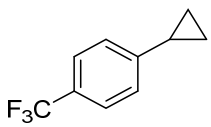
3c

1-cyclopropyl-4-isopropylbenzene (3c)[1c]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.17 – 7.08 (m, 2H), 7.06 – 6.96 (m, 2H), 2.90 – 2.84 (m, 1H), 1.87 (dd, *J* = 8.2, 3.2 Hz, 1H), 1.23 (dd, *J* = 6.9, 1.9 Hz, 6H), 0.96 – 0.86 (m, 2H), 0.68 – 0.65 (m, 2H).



3d

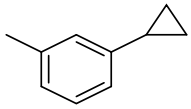
4-cyclopropyl-1,1'-biphenyl (3d)[1a]: The general procedure was followed. White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 – 7.56 (m, 2H), 7.52 – 7.48 (m, 2H), 7.45 – 7.40 (m, 2H), 7.35 – 7.30 (m, 1H), 7.17 – 7.13 (m, 2H), 1.91 – 1.98 (m, 1H), 1.03 – 0.98 (m, 2H), 0.77 – 0.73 (m, 2H).



3f

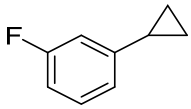
1-cyclopropyl-4-trifluoromethylbenzene (3f)[1a]: The general procedure was followed.

Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 8.1 Hz, 2H), 7.15 (d, *J* = 8.2 Hz, 2H), 1.98 – 1.90 (m, 1H), 1.07 – 1.01 (m, 2H), 0.75 (dt, *J* = 6.6, 4.8 Hz, 2H).



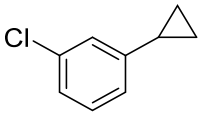
3g

1-cyclopropyl-3-methylbenzene (3g)[2a]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.15 (dt, *J* = 10.8, 7.5 Hz, 1H), 6.91 (dd, *J* = 31.9, 9.3 Hz, 3H), 2.35 – 2.23 (m, 3H), 1.58 – 1.48 (m, 1H), 0.99 – 0.88 (m, 2H), 0.77 – 0.62 (m, 2H).



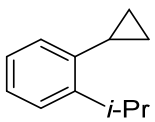
3h

1-cyclopropyl-3-fluorobenzene (3h)[1b]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 – 7.13 (m, 1H), 6.83 (dd, *J* = 19.7, 8.2 Hz, 2H), 6.73 (d, *J* = 10.4 Hz, 1H), 2.00 – 1.83 (m, 1H), 0.98 (dt, *J* = 6.3, 5.5 Hz, 2H), 0.78 – 0.64 (m, 2H).



3i

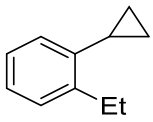
1-chloro-3-cyclopropylbenzene (3i)[2a]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.17 (t, *J* = 7.7 Hz, 1H), 7.14 – 7.09 (m, 1H), 7.04 (t, *J* = 1.9 Hz, 1H), 6.95 (dt, *J* = 7.5, 1.4 Hz, 1H), 1.90 – 1.84 (m, 1H), 1.01 – 0.93 (m, 2H), 0.72 – 0.66 (m, 2H).



3j

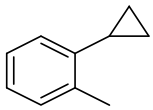
1-cyclopropyl-2-isopropylbenzene (3j)[1b]: The general procedure was followed.

Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.25 (m, 1H), 7.18 (td, *J* = 7.5, 1.5 Hz, 1H), 7.10 (td, *J* = 7.4, 1.4 Hz, 1H), 7.02 – 6.99 (m, 1H), 3.58 (hept, *J* = 6.9 Hz, 1H), 2.02 – 1.95 (m, 1H), 1.27 (d, *J* = 6.9 Hz, 6H), 0.95 – 0.90 (m, 2H), 0.67 – 0.64 (m, 2H).



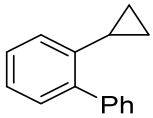
3k

1-cyclopropyl-2-ethylbenzene (3k)[1b]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.19 – 7.09 (m, 3H), 6.99 – 6.95 (m, 1H), 2.84 (q, J = 7.6 Hz, 2H), 1.99 – 1.92 (m, 1H), 1.27 (t, *J* = 7.6 Hz, 3H), 0.96 – 0.90 (m, 2H), 0.69 – 0.64 (m, 2H).



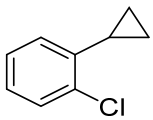
3l

1-cyclopropyl-2-methylbenzene (3l)[2a]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.16 – 7.07 (m, 3H), 7.00 – 6.97 (m, 1H), 2.43 (s, 3H), 1.93 – 1.86 (m, 1H), 0.95 – 0.90 (m, 2H), 0.66 – 0.62 (m, 2H).



3m

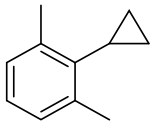
2-cyclopropyl-1,1'-biphenyl (3m)[2b]: The general procedure was followed. Light yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.40 (m, 4H), 7.37 – 7.32 (m, 1H), 7.30 – 7.24 (m, 2H), 7.24 – 7.18 (m, 2H), 6.94 (d, *J* = 7.7 Hz, 1H), 1.92 – 1.86 (m, 1H), 0.86 – 0.81 (m, 2H), 0.72 – 0.67 (m, 2H).



3n

1-chloro-2-cyclopropylbenzene (3n)[2c]: The general procedure was followed.

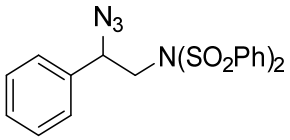
Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.16 (td, *J* = 7.6, 1.4, Hz, 1H), 7.09 (td, *J* = 7.6, 1.8 Hz, 1H), 6.93 (dd, *J* = 7.6, 1.7 Hz, 1H), 2.2 – 2.16 (m, 1H), 1.04 – 0.98 (m, 2H), 0.71 – 0.66 (m, 2H).



3o

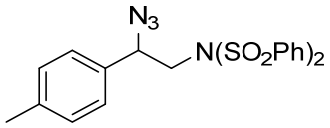
2-cyclopropyl-1,3-dimethylbenzene (3o)[2d]: The general procedure was followed. Colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.06 – 6.97 (m, 3H), 2.43 (s, 6H), 1.75 – 1.66 (m, 1H), 1.04 – 0.98 (m, 2H), 0.57 – 0.51 (m, 2H).

(b) Characterization data of products



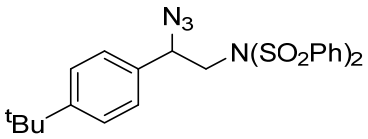
2a

N-(2-azido-2-phenylethyl)-N-(phenylsulfonyl)benzenesulfonamide (2a)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1 – petroleum ether/ethyl acetate = 10/1) afforded 123.7 mg (71% yield). Colorless oil. TCL: R_f = 0.23 (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.68 – 7.64 (m, 2H), 7.58 – 7.53 (m, 4H), 7.42 – 7.35 (m, 5H), 5.01 (dd, *J* = 9.6, 4.2 Hz, 1H), 4.06 (dd, *J* = 15.6, 9.6 Hz, 1H), 3.72 (dd, *J* = 15.6, 4.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.27, 136.50, 134.08, 129.18, 129.08, 129.04, 128.64, 127.26, 65.63, 53.24. IR (neat): 3068, 2927, 2106, 1448, 13、80, 1169, 1084, 1049, 887, 826, 738, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{18}N_4O_4S_2Na[M+Na]^+$: 465.0662, found 465.0661.



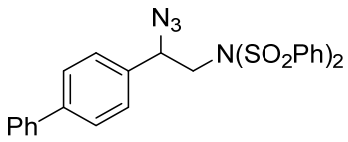
2b

N-(2-Azido-2-(p-tolyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2b)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 79.5 mg (44% yield). white solid. TCL: R_f = 0.23 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (dd, *J* = 7.5, 1.0 Hz, 4H), 7.68 – 7.63 (m, 2H), 7.57 – 7.52 (m, 4H), 7.25 – 7.19 (m, 4H), 4.98 (dd, *J* = 9.5, 4.2 Hz, 1H), 4.05 (dd, *J* = 15.6, 9.6 Hz, 1H), 3.70 (dd, *J* = 15.6, 4.3 Hz, 1H), 2.37 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.25, 138.98, 133.99, 133.36, 129.78, 128.97, 128.60, 127.18, 65.36, 53.13, 21.19. IR (neat): 3068, 2927, 2106, 1449, 1377, 1169, 1085, 1048, 720, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{20}N_4O_4S_2Na[M+Na]^+$: 479.0818, found 479.0814.



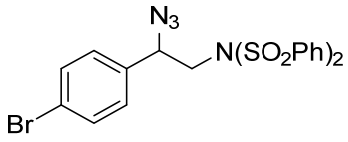
2c

N-(2-Azido-2-(4-(tert-butyl)phenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2c)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 104.9 mg (53% yield). light yellow oil. TCL: R_f = 0.42 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.68 – 7.64 (m, 2H), 7.58 – 7.54 (m, 4H), 7.44 – 7.41 (m, 2H), 7.31 – 7.27 (m, 2H), 4.98 (dd, *J* = 9.9, 3.9 Hz, 1H), 4.07 (dd, *J* = 15.6, 9.9 Hz, 1H), 3.70 (dd, *J* = 15.6, 4.0 Hz, 1H), 1.33 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.14, 139.30, 134.02, 133.40, 128.98, 128.61, 126.89, 126.01, 65.37, 53.16, 34.68, 31.26. IR (neat): 3071, 2966, 2104, 1448, 1380, 1085, 1048, 911, 820, 721, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{24}H_{26}N_4O_4S_2Na[M+Na]^+$: 521.1288, found 521.1288.



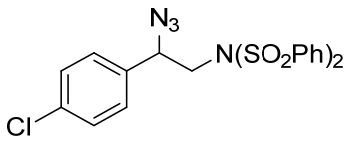
2d

N-(2-([1,1'-biphenyl]-4-yl)-2-azidoethyl)-N-(phenylsulfonyl)benzenesulfonamide (2d)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 89 mg (44% yield). white solid. TCL: R_f = 0.21 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.68 - 7.58 (m, 6H), 7.57 – 7.52 (m, 4H), 7.49 – 7.43 (m, 4H), 7.41 – 7.36 (m, 1H), 5.07 (dd, *J* = 9.4, 4.4 Hz, 1H), 4.08 (dd, *J* = 15.6, 9.4 Hz, 1H), 3.79 (dd, *J* = 15.6, 4.5 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 142.00, 140.19, 139.19, 135.35, 134.04, 128.95, 128.60, 127.80, 127.74， 127.70， 127.07, 65.36, 53.09. IR (neat): 3055, 2927, 2107, 1449, 1380, 1266, 1171, 909, 739, 688 cm^{-1}. HRMS m/z (ESI) calcd for $C_{26}H_{22}N_4O_4S_2Na[M+Na]^+$: 541.0975, found 541.0974.



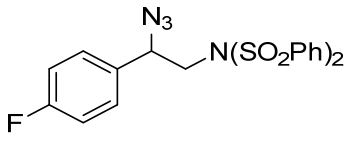
2e

N-(2-azido-2-(4-bromophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2e)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 40/1 – petroleum ether/ethyl acetate = 30/1) afforded 96.2 mg (47% yield). white solid. TCL: R_f = 0.36 (petroleum ether/ethyl acetate = 5/x1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.69 – 7.65 (m, 2H), 7.56 (dt, *J* = 7.4, 1.8 Hz, 4H), 7.53 – 7.49 (m, 2H), 7.25 – 7.21 (m, 2H), 5.00 (dd, *J* = 9.0, 4.8 Hz, 1H), 3.98 (dd, *J* = 15.6, 9.1 Hz, 1H), 3.72 (dd, *J* = 15.6, 4.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.05, 135.50, 134.12, 132.32, 129.05, 128.96, 128.57, 123.16, 65.02, 52.97. IR (neat): 3072, 2957, 2106, 1488, 1448, 1169, 1079, 907, 684 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}BrN_4O_4S_2Na[M+Na]^+$: 542.9767, found 542.9766.



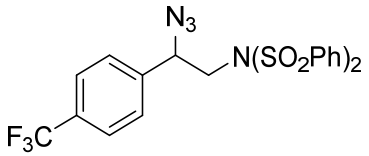
2f

N-(2-azido-2-(4-chlorophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2f)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1 – petroleum ether/ethyl acetate = 20/1) afforded 98.4 mg (54% yield). white solid. TCL: R_f = 0.23 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.59 – 7.54 (m, 4H), 7.36 – 7.31 (m, 3H), 7.25 (dd, *J* = 3.0, 1.9 Hz, 1H), 4.99 (dd, *J* = 9.4, 4.3 Hz, 1H), 4.02 (dd, *J* = 15.6, 9.5 Hz, 1H), 3.70 (dd, *J* = 15.6, 4.3 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.07, 135.00, 134.98, 134.11, 129.36, 129.04, 128.65, 128.57, 64.96, 53.06. IR (neat): 3072, 2957, 2106, 1448, 1376, 1169, 1090, 1049, 912, 819, 723, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}ClN_4O_4S_2Na[M+Na]^+$: 499.0272, found 499.0274.



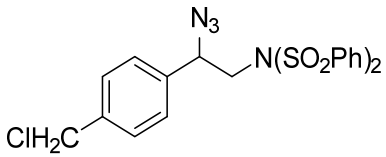
2g

N-(2-azido-2-(4-fluorophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2g)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1) afforded 98.4mg (54%). white solid. TCL: R_f = 0.20 (petroleum ether/ethyl acetate = 10/x1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.69 – 7.64 (m, 2H), 7.58 – 7.53 (m, 4H), 7.36 – 7.31 (m, 2H), 7.12 – 7.04 (m, 2H), 5.01 (dd, *J* = 9.3, 4.5 Hz, 1H), 4.01 (dd, *J* = 15.6, 9.3 Hz, 1H), 3.71 (dd, *J* = 15.6, 4.6 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.96(d, *J* = 246.9 Hz), 139.15, 134.10, 132.31(d, *J* = 3.5 Hz), 129.10, 129.03, 128.57, 116.15(d, *J* = 21.5 Hz), 64.92, 53.20. ^{19}F NMR (376 MHz, $CDCl_3$) δ -112.19 (s,1F). IR (neat): 3068, 2957, 2107, 1511, 1449, 1380, 1227, 1169, 1085, 1049, 889, 838, 720, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}FN_4O_4S_2Na[M+Na]^+$: 483.0567, found 483.0567.



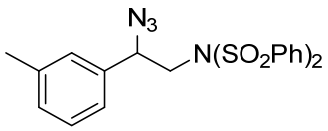
2h

N-(2-azido-2-(4-(trifluoromethyl)phenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonAmide (2h)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 105 mg (52% yield). white solid. TCL: R_f = 0.38 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.69 – 7.64 (m, 4H), 7.58 – 7.53 (m, 4H), 7.49 (d, *J* = 8.2 Hz, 2H), 5.10 (dd, *J* = 9.1, 4.6 Hz, 1H), 4.02 (dd, *J* = 15.6, 9.2 Hz, 1H), 3.75 (dd, *J* = 15.6, 4.6 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 140.54, 138.99, 134.20, 131.23(d, *J* = 32.4 Hz), 129.07, 128.56, 127.68, 126.12 (q, *J* = 3.7 Hz), 123.76 (d, *J* = 270.6 Hz), 65.17, 53.08. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.70 (s,3F). IR (neat): 3072, 2957, 2107, 1449, 1380, 1320, 1169, 1123, 723, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{17}F_3N_4O_4S_2Na[M+Na]^+$: 533.0536, found 533.0538.



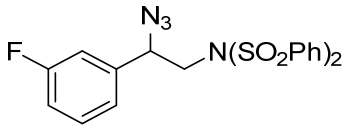
2i

N-(2-azido-2-(4-(1-(chloromethyl)phenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2i)[4c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 81.3 mg (42% yield). white solid. TCL: R_f = 0.18 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.69 – 7.64 (m, 2H), 7.59 – 7.53 (m, 4H), 7.43 (d, *J* = 8.2 Hz, 2H), 7.36 (d, *J* = 8.2 Hz, 2H), 5.03 (dd, *J* = 9.4, 4.3 Hz, 1H), 4.60 (s, 2H), 4.03 (dd, *J* = 15.6, 9.4 Hz, 1H), 3.72 (dd, *J* = 15.6, 4.4 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.12, 138.37, 136.70, 134.09, 129.33, 129.03, 128.59, 127.66, 65.29, 53.05, 45.54. IR (neat): 3067, 2957, 2106, 1449, 1372, 1265, 1169, 1084, 1049, 916, 735, 688 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{19}ClN_4O_4S_2Na[M+Na]^+$: 513.0428, found 513.0431.



2j

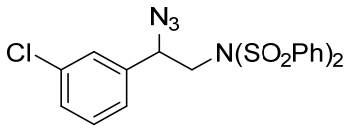
N-(2-azido-2-(m-tolyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2j)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1 - petroleum ether/ethyl acetate = 20/1) afforded 95.7 mg (53% yield). Colorless oil. TCL: R_f = 0.25 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.68 – 7.64 (m, 2H), 7.58 – 7.53 (m, 4H), 7.29 (t, *J* = 7.7 Hz, 1H), 7.20 – 7.14 (m, 3H), 4.97 (dd, *J* = 9.7, 4.1 Hz, 1H), 4.06 (dd, *J* = 15.6, 9.7 Hz, 1H), 3.71 (dd, *J* = 15.6, 4.2 Hz, 1H), 2.37 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.28, 138.96, 136.36, 134.01, 129.80, 129.02, 128.99, 128.60, 127.88, 124.24, 65.60, 53.22, 21.40. IR (neat): 3071, 2925, 2104, 1448, 1378, 1169, 1084, 1048, 867, 720, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{20}N_4O_4S_2Na[M+Na]^+$: 479.0818, found 479.0816.



2k

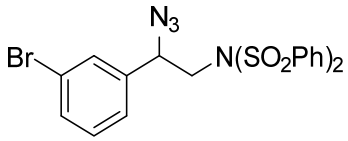
N-(2-azido-2-(3-fluorophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2k)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1) afforded 135 mg (74% yield). White solid. TCL: R_f = 0.19 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.70 – 7.64 (m, 2H), 7.59 – 7.54 (m, 4H), 7.40 – 7.34 (m, 1H), 7.15 (d, *J* = 7.7 Hz, 1H), 7.09 – 7.03 (m, 2H), 5.01 (dd, *J* = 9.5, 4.1 Hz, 1H), 4.03 (dd, *J* = 15.6, 9.5 Hz, 1H), 3.70 (dd, *J* = 15.6, 4.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.01(d, *J* = 246.5 Hz), 139.15, 139.03(d, *J* = 7.0 Hz), 134.13, 130.79(d, *J* = 8.1 Hz), 129.06, 128.58, 122.79(d, *J* = 3.0 Hz), 116.03(d, *J* = 20.9 Hz), 114.22(d, *J* = 22.3 Hz), 65.12, 53.23. ^{19}F NMR (376 MHz, $CDCl_3$) δ -111.16 (s,1F). IR (neat): 3071, 2966, 2105, 1592,1449, 1379, 1169, 1084, 909, 869, 738, 685 cm^{-1}. HRMS m/z (ESI) calcd

for $C_{20}H_{17}FN_4O_4S_2Na[M+Na]^+$: 483.0567, found 483.0564.



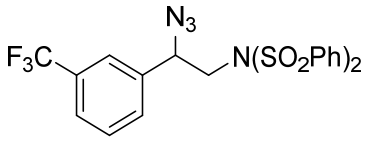
2l

N-(2-azido-2-(3-chlorophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2l)[4b]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 119 mg (63% yield). Colorless oil. TCL: R_f = 0.31 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.59 – 7.54 (m, 4H), 7.36 – 7.31 (m, 3H), 7.25 (dd, *J* = 3.0, 1.9 Hz, 1H), 4.99 (dd, *J* = 9.4, 4.3 Hz, 1H), 4.02 (dd, *J* = 15.6, 9.5 Hz, 1H), 3.70 (dd, *J* = 15.6, 4.3 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.13, 138.58, 135.06, 134.14, 130.44, 129.24, 129.06, 128.57, 127.37, 125.30, 65.09, 53.21. IR (neat): 3071, 2928, 2107, 1448, 1378, 1169, 1085, 909, 860, 785, 718, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}ClN_4O_4S_2Na[M+Na]^+$: 499.0272, found 499.0272.



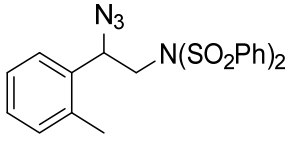
2m

N-(2-azido-2-(3-bromophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2m)[4c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 132 mg (64% yield). Colorless oil. TCL: R_f = 0.21 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.59 – 7.54 (m, 4H), 7.52 – 7.47 (m, 2H), 7.32 – 7.26 (m, 2H), 4.98 (dd, *J* = 9.4, 4.3 Hz, 1H), 4.02 (dd, *J* = 15.6, 9.4 Hz, 1H), 3.70 (dd, *J* = 15.6, 4.3 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.12, 138.82, 134.14, 132.18, 130.70, 130.25, 129.07, 128.56, 125.77, 123.15, 65.03, 53.21. IR (neat): 3071, 2928, 2107, 1477, 1449, 1378, 1169, 1084, 1049, 908, 833, 780, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}BrN_4O_4S_2Na[M+Na]^+$: 542.9767, found 542.9768.



2n

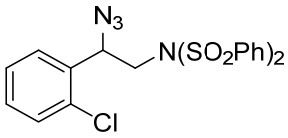
N-(2-azido-2-(3-(trifluoromethyl)phenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2n)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 141.5 mg (70% yield). Colorless oil. TCL: R_f = 0.21 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.64 (d, *J* = 7.6 Hz, 1H), 7.60 – 7.51 (m, 7H), 5.09 (dd, *J* = 9.4, 4.3 Hz, 1H), 4.05 (dd, *J* = 15.6, 9.4 Hz, 1H), 3.73 (dd, *J* = 15.6, 4.3 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.09, 137.72, 134.19, 131.56 (q, *J* = 32.4 Hz), 130.54, 129.72, 129.10, 128.56, 125.90 (q, *J* = 3.6 Hz), 123.97 (q, *J* = 3.8 Hz), 123.67 (d, *J* = 270.9 Hz), 65.21 (s), 53.27 (s). ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.64 (s,3F). IR (neat): 3067, 2943, 2107, 1449, 1379, 1168, 1128, 863, 739, 720, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{17}F_3N_4O_4S_2Na[M+Na]^+$: 533.0536, found 533.0535.



2o

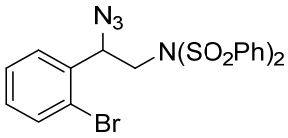
N-(2-azido-2-(o-tolyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2o)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1 – petroleum ether/ethyl acetate = 20/1) afforded 101mg (56%). Colorless oil. TCL: R_f = 0.43 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (dt, *J* = 8.7, 1.7 Hz, 4H), 7.69 – 7.64 (m, 2H), 7.56 (t, *J* = 7.7 Hz, 4H), 7.40 (dt, *J* = 5.1, 2.8 Hz, 1H), 7.28 – 7.26 (m, 1H), 7.26 – 7.23 (m, 1H), 7.22 – 7.18 (m, 1H), 5.26 (dd, *J* = 10.1, 3.8 Hz, 1H), 4.09 (dd, *J* = 15.6, 10.1 Hz, 1H), 3.64 (dd, *J* = 15.6, 3.8 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.33, 135.97, 134.73, 134.03, 131.03, 129.00, 128.77, 128.59, 126.92, 126.82, 62.10, 52.43, 19.28. IR (neat): 3068, 2951, 2106, 1449, 1377, 1169, 1085, 1044, 831, 686 cm^{-1}. HRMS

m/z (ESI) calcd for $C_{21}H_{20}N_4O_4S_2Na[M+Na]^+$: 479.0818, found 479.0816.



2p

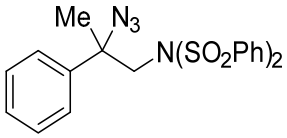
N-(2-azido-2-(2-chlorophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2p)[4b]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1 – petroleum ether/ethyl acetate = 20/1) afforded 107.4 mg(57% yield). white solid. TCL: R_f = 0.29 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.61 – 7.55 (m, 4H), 7.51 (dd, *J* = 7.6, 1.8 Hz, 1H), 7.40 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.34 (dt, *J* = 7.6, 3.8 Hz, 1H), 7.32 – 7.27 (m, 1H), 5.51 (dd, *J* = 10.5, 3.8 Hz, 1H), 4.05 (dd, *J* = 15.6, 10.5 Hz, 1H), 3.69 (dd, *J* = 15.6, 3.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.21, 134.57, 134.07, 132.95, 130.04, 129.98, 129.03, 128.67, 128.35, 127.71, 61.84, 51.59. IR (neat): 3068, 2931, 2107, 1448, 1379, 1170, 1085, 1058, 908, 744, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}ClN_4O_4S_2Na[M+Na]^+$: 499.0272, found 499.0272.



2q

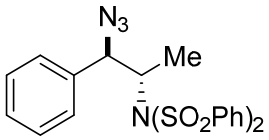
N-(2-azido-2-(2-bromophenyl)ethyl)-N-(phenylsulfonyl)benzenesulfonamide (2q)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 115.1 mg (56% yield). white solid. TCL: R_f = 0.36 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 – 8.16 (m, 4H), 7.70 – 7.65 (m, 2H), 7.61 – 7.57 (m, 4H), 7.56 (dd, *J* = 2.3, 1.5 Hz, 1H), 7.50 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.39 (td, *J* = 7.5, 1.1 Hz, 1H), 7.24 – 7.19 (m, 1H), 5.49 (dd, *J* = 10.6, 3.9 Hz, 1H), 4.04 (dd, *J* = 15.6, 10.6 Hz, 1H), 3.69 (dd, *J* = 15.6, 4.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.22, 136.38, 134.07, 133.27, 130.35, 129.03, 128.70, 128.62, 128.34, 123.01, 63.91, 51.64. IR (neat): 3068, 2948, 2105, 1449, 1376, 1182,

1092, 1058, 890, 834, 757, 688 cm^{-1}. HRMS m/z (ESI) calcd for $C_{20}H_{17}BrN_4O_4S_2Na[M+Na]^+$: 542.9767, found 542.9768.



2r

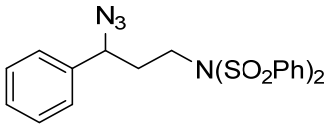
N-(2-azido-2-phenylpropyl)-N-(phenylsulfonyl)benzenesulfonamide (2r)[4a]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1) afforded 96 mg (53% yield). white solid. TCL: R_f = 0.26 (petroleum ether/ethyl acetate = 10/1). ^1H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, *J* = 7.7 Hz, 4H), 7.67 – 7.62 (m, 2H), 7.58 – 7.54 (m, 4H), 7.45 – 7.37 (m, 4H), 7.36 – 7.31 (m, 1H), 4.17 (d, *J* = 15.8 Hz, 1H), 3.99 (d, *J* = 15.8 Hz, 1H), 1.67 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.44, 140.59, 133.75, 128.91, 128.87, 128.29, 125.84, 66.94, 58.87, 21.54. IR (neat): 3068, 2931, 2103, 1448, 1380, 1169, 1084, 1050, 909, 857, 736, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{20}N_4O_4S_2Na[M+Na]^+$: 479.0818, found 479.0810.



trans-2s

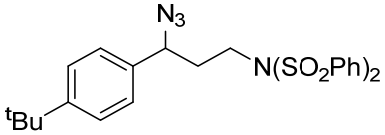
N-1-azido-1-phenylpropan-2-yl)-N-(phenylsulfonyl)benzenesulfonami de (trans-2s)[4b]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 30/1 – petroleum ether/ethyl acetate = 20/1) afforded 103 mg (57% yield). Colorless oil. TCL: R_f = 0.39 (petroleum ether/ethyl acetate = 5:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.65 – 7.56 (m, 6H), 7.41 (dd, *J* = 8.2, 7.7 Hz, 4H), 7.37 – 7.31 (m, 3H), 7.31 – 7.26 (m, 2H), 5.21 (d, *J* = 9.7 Hz, 1H), 4.37 (dd, *J* = 9.7, 6.8 Hz, 1H), 1.50 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 135.93, 133.74, 129.19, 128.88, 128.74, 128.57, 128.49, 127.63, 69.77, 61.52, 17.99. IR (neat): 3068, 2957, 2104, 1449, 1376, 1167, 1083, 908, 860, 723, 685 cm^{-1}. HRMS m/z (ESI) calcd for

$C_{21}H_{20}N_4O_4S_2Na[M+Na]^+$: 479.0818, found 479.0819.



4a

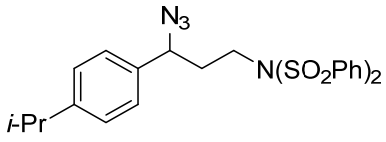
N-(3-azido-3-phenylpropyl)-N-(phenylsulfonyl)benzenesulfonamide (4a)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 15/1 – petroleum ether/ethyl acetate = 10/1) afforded 141 mg (71% yield). Colorless oil. TCL: R_f = 0.31 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 – 7.95 (m, 4H), 7.69 – 7.64 (m, 2H), 7.57 – 7.53 (m, 4H), 7.42 – 7.35 (m, 3H), 7.23 (dd, *J* = 7.8, 1.6 Hz, 2H), 4.44 (dd, *J* = 8.5, 5.7 Hz, 1H), 3.85-3.77 (m, 1H), 3.73-3.65 (m, 1H), 2.21 – 2.06 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.55, 138.29, 133.96, 129.16, 128.97, 128.65, 128.17, 126.82, 63.37, 46.21, 35.99. IR (neat): 3068, 2961, 2101, 1448, 1375, 1169, 1088, 908, 736, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{20}N_4O_4S_2Na[M+Na]^+$: 479.0818, found 479.0816.



4b

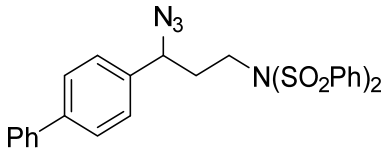
N-(3-azido-3-(4-(tert-butyl)phenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4b)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 168.8 mg (83% yield). Colorless oil. TCL: R_f = 0.30 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.66 (t, *J* = 7.5 Hz, 2H), 7.55 (t, *J* = 7.8 Hz, 4H), 7.40 (d, *J* = 8.4 Hz, 2H), 7.16 (d, *J* = 8.3 Hz, 2H), 4.41 (dd, *J* = 8.6, 5.6 Hz, 1H), 3.85 – 3.76 (m, 1H), 3.71 – 3.64 (m, 1H), 2.22 – 2.06 (m, 2H), 1.34 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.66, 139.57, 135.21, 133.94, 129.15, 128.19, 126.53, 125.83, 63.13, 46.28, 35.88, 34.63, 31.29. IR (neat): 3071, 2970, 2102, 1449, 1379, 1169, 1087, 738, 686 cm^{-1}. HRMS m/z (ESI) calcd for

$C_{25}H_{28}N_4O_4S_2Na[M+Na]^+$: 535.1444, found 535.1442.



4c

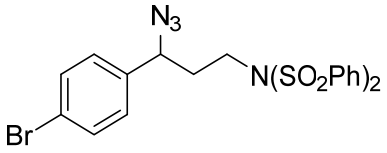
N-(3-azido-3-(4-isopropylphenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4c)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 25:1) afforded 152.1 mg (77% yield). White solid. TCL: R_f = 0.38 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, *J* = 8.5, 1.4 Hz, 4H), 7.66 (t, *J* = 7.5 Hz, 2H), 7.57 – 7.52 (m, 4H), 7.24 (s, 2H), 7.15 (d, *J* = 8.2 Hz, 2H), 4.41 (dd, *J* = 8.5, 5.7 Hz, 1H), 3.85 – 3.76 (m, 1H), 3.71 – 3.64 (m, 1H), 2.96 – 2.89 (m, 1H), 2.22 – 2.07 (m, 2H), 1.27 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 149.38, 139.57, 135.58, 133.94, 129.15, 128.18, 126.97, 126.81, 63.21, 46.28, 35.91, 33.83, 23.90. IR (neat): 3068, 2961, 2102, 1448, 1376, 1085, 909, 735, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{24}H_{26}N_4O_4S_2Na[M+Na]^+$: 521.1288, found 521.1288.



4d

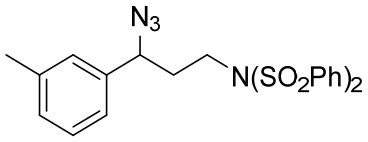
N-(3-([1,1'-biphenyl]-4-yl)-3-azidopropyl)-N-(phenylsulfonyl)benzenesulfonamide (4d)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1 – petroleum ether/ethyl acetate = 5/1) afforded 114 mg (89% yield). White solid. TCL: R_f = 0.12 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 7.4 Hz, 4H), 7.68 – 7.64 (m, 2H), 7.64 – 7.60 (m, 4H), 7.57 – 7.53 (m, 4H), 7.47 (t, *J* = 7.7 Hz, 2H), 7.40 – 7.35 (m, 1H), 7.31 (d, *J* = 8.2 Hz, 2H), 4.50 (dd, *J* = 8.3, 5.7 Hz, 1H), 3.92 – 3.80 (m, 1H), 3.76 – 3.69 (m, 1H), 2.27 – 2.07 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.56, 140.31, 139.54, 137.26, 133.98, 129.18, 128.86, 128.18, 127.64, 127.27,

127.07, 63.11, 46.20, 35.99. IR (neat): 3068, 2961, 2102, 1448, 1375, 1169, 1085, 739, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{27}H_{24}N_4O_4S_2Na[M+Na]^+$: 555.1131, found 555.1131.



4e

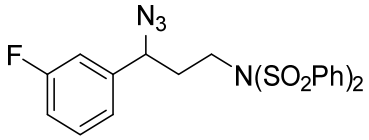
N-(3-azido-3-(4-bromophenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4e)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1 – petroleum ether/ethyl acetate = 10/1) afforded 112.6 mg (58% yield). Light yellow oil. TCL: R_f = 0.12 (petroleum ether/ethyl acetate = 10/1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.99 – 7.95 (m, 4H), 7.69 – 7.65 (m, 2H), 7.58 – 7.51 (m, 6H), 7.12 – 7.08 (m, 2H), 4.42 (dd, *J* = 8.5, 5.6 Hz, 1H), 3.82 – 3.63 (m, 2H), 2.16 – 2.02 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.51, 137.50, 134.07, 132.18, 129.24, 128.50, 128.19, 122.63, 62.73, 46.04, 36.03. IR (neat): 3068, 2961, 2102, 1448, 1374, 1168, 1088, 739, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{19}BrN_4O_4S_2Na[M+Na]^+$: 556.9923, found 556.9923.



4g

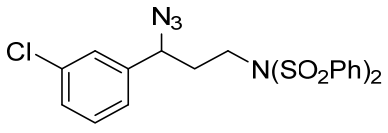
N-(3-azido-3-(m-tolyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4g)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1 – petroleum ether/ethyl acetate = 10/1) afforded 137.8 mg (74% yield). Colorless oil. TCL: R_f = 0.14 (petroleum ether/ethyl acetate = 10/1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.98 (dt, *J* = 8.6, 1.7 Hz, 4H), 7.69 – 7.64 (m, 2H), 7.55 (t, *J* = 7.8 Hz, 4H), 7.29 (d, *J* = 7.9 Hz, 1H), 7.16 (d, *J* = 7.4 Hz, 1H), 7.02 (d, *J* = 6.3 Hz, 2H), 4.40 (dd, *J* = 8.5, 5.7 Hz, 1H), 3.84 – 3.77 (m, 1H), 3.73 – 3.65 (m, 1H), 2.38 (s, 3H), 2.19 – 2.05 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.58, 138.69, 138.23, 133.94,

129.38, 129.15, 128.82, 128.17, 127.49, 123.84, 63.39, 46.26, 35.99, 21.43. IR (neat): 3071, 2925, 2103, 1449, 1375, 1169, 1085, 910, 736, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{22}H_{22}N_4O_4S_2Na[M+Na]^+$: 493.0975, found 493.0974.



4h

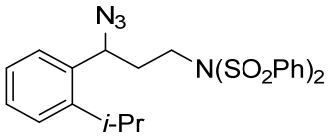
N-(3-azido-3-(3-fluorophenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4h)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 71.6 mg (38%). Colorless oil. TCL: R_f = 0.30 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.56 (t, *J* = 7.8 Hz, 4H), 7.39 – 7.33 (m, 1H), 7.05 (td, *J* = 8.5, 2.6 Hz, 1H), 7.01 (d, *J* = 7.7 Hz, 1H), 6.94 – 6.89 (m, 1H), 4.45 (dd, *J* = 8.8, 5.2 Hz, 1H), 3.85 – 3.67 (m, 2H), 2.17 – 2.00 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.98(d, *J* = 246.2 Hz), 141.03(d, *J* = 6.7 Hz), 139.53, 134.04, 130.61(d, *J* = 8.1 Hz), 129.21, 128.17, 122.43(d, *J* = 2.9 Hz), 115.60(d, *J* = 21.0 Hz), 113.76(d, *J* = 22.1 Hz), 62.71, 46.04, 36.05. ^{19}F NMR (376 MHz, $CDCl_3$) δ -111.60 (s,1F). IR (neat): 3068, 2927, 2106, 1448, 1376, 1085, 1048, 890, 795, 720, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{19}FN_4O_4S_2Na[M+Na]^+$: 497.0724, found 497.0725.



4i

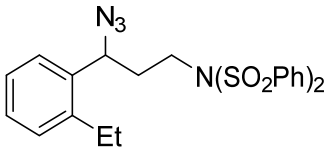
N-(3-azido-3-(3-chlorophenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4i)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1 – petroleum ether/ethyl acetate = 10/1) afforded 73.2 mg (38% yield). Colorless oil. TCL: R_f = 0.32 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (dt, *J* = 8.6, 1.7 Hz, 4H), 7.70 – 7.65 (m, 2H), 7.57 (t, *J* = 7.8 Hz 4H), 7.35 – 7.31 (m, 2H), 7.18 (s, 1H), 7.13 – 7.10 (m, 1H), 4.43 (dd, *J* =

8.8, 5.2 Hz, 1H), 3.84 – 3.68 (m, 2H), 2.15 – 1.99 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 140.62, 139.52, 134.87, 134.06, 130.30, 129.22, 128.79, 128.17, 126.93, 124.90, 62.69, 46.01, 36.08. IR (neat): 3071, 2929, 2104, 1478, 1448, 1085, 909, 825, 739, 685, 651 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{19}ClN_4O_4S_2Na[M+Na]^+$: 513.0428, found 513.0430.



4j

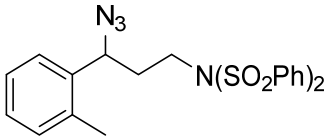
N-(3-azido-3-(2-isopropylphenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4j)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 25/1) afforded 161.4 mg (82% yield). Colorless oil. TCL: R_f = 0.36 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.68 – 7.64 (m, 2H), 7.55 (t, *J* = 7.8 Hz, 4H), 7.35 – 7.26 (m, 3H), 7.25 – 7.21 (m, 1H), 4.83 – 4.78 (m, 1H), 3.89 – 3.81 (m, 1H), 3.77 – 3.70 (m, 1H), 3.15 – 3.09 (m, 1H), 2.19 – 2.11 (m, 2H), 1.23 (dd, *J* = 10.0, 6.8 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 146.46, 139.52, 134.76, 133.96, 129.16, 128.70, 128.18, 126.49, 126.32, 125.99, 59.16, 46.53, 36.03, 28.49, 24.40, 23.96. IR (neat): 3068, 2965, 2102, 1448, 1376, 1268, 1174, 1088, 811, 744, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{24}H_{26}N_4O_4S_2Na[M+Na]^+$: 521.1288, found 521.1271.



4k

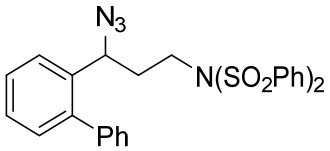
N-(3-azido-3-(2-ethylphenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4k)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 25/1) afforded 161.4mg (82%). Colorless oil. TCL: R_f = 0.31 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.66 (t, *J* = 7.5 Hz, 2H), 7.55 (t, *J* = 7.8 Hz, 4H), 7.32 – 7.27 (m, 3H), 7.24

– 7.21 (m, 1H), 4.74 (dd, J = 8.7, 5.5 Hz, 1H), 3.90 – 3.83 (m, 1H), 3.77 – 3.69 (m, 1H), 2.62 (dd, J = 14.9, 7.5 Hz, 2H), 2.20 – 2.07 (m, 2H), 1.21 (t, J = 7.6 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.67, 139.53, 135.68, 133.96, 129.20, 129.15, 128.54, 128.18, 126.60, 126.37, 59.04, 46.52, 35.88, 25.36, 15.79. IR (neat): 3069, 2965, 2102, 1448, 1375, 1169, 1085, 909, 735, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{23}H_{24}N_4O_4S_2Na[M+Na]^+$: 507.1131, found 507.1131.



4l

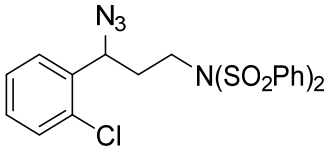
N-(3-azido-3-(o-tolyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4l)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 137.5mg (74% yield). Colorless oil. TCL: R_f = 0.34 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 – 7.95 (m, 4H), 7.68 – 7.64 (m, 2H), 7.55 (t, J = 7.8 Hz, 4H), 7.29 – 7.26 (m, 1H), 7.26 – 7.18 (m, 3H), 4.70 (dd, J = 9.0, 4.9 Hz, 1H), 3.88 – 3.81 (m, 1H), 3.78 – 3.70 (m, 1H), 2.30 (s, 3H), 2.22 – 2.04 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.53, 136.28, 135.51, 133.97, 131.01, 129.16, 128.30, 128.17, 126.59, 126.15, 59.81, 46.45, 35.10, 19.14. IR (neat): 3067, 2958, 2103, 1448, 1375, 1170, 1087, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{22}H_{22}N_4O_4S_2Na[M+Na]^+$: 493.0975, found 493.0972.



4m

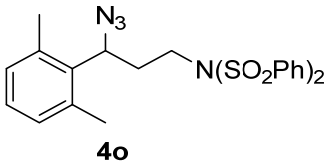
N-(3-([1,1'-biphenyl]-2-yl)-3-azidopropyl)-N-(phenylsulfonyl)benzenesulfonamide (4m)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 25/1) afforded 179.9 mg (85% yield). Light yellow oil. TCL: R_f = 0.35 (petroleum ether/ethyl acetate = 5/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (dd, J = 8.3, 1.0 Hz, 4H), 7.67 – 7.63 (m, 2H), 7.53 (t,

J = 7.8 Hz, 4H), 7.47 – 7.37 (m, 6H), 7.28 (d, *J* = 7.4 Hz, 1H), 7.23 (dd, *J* = 7.8, 1.5 Hz, 2H), 4.54 (dd, *J* = 8.4, 5.9 Hz, 1H), 3.70 – 3.63 (m, 1H), 3.49 – 3.40 (m, 1H), 2.19 – 2.05 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.81, 139.98, 139.46, 135.76, 133.93, 130.36, 129.31, 129.12, 128.50, 128.28, 128.14, 127.54, 126.44, 59.32, 46.16, 36.14. IR (neat): 3068, 2961, 2101, 1449, 1377, 1174, 1088, 908, 736, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{27}H_{24}N_4O_4S_2Na[M+Na]^+$: 555.1131, found 555.1132.



4n

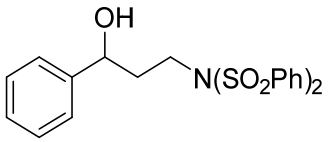
N-(3-azido-3-(2-chlorophenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4n)[1c]: The general procedure was followed, and flash chromatography (petroleum ether/ethyl acetate = 20/1) afforded 47.5 mg (35% yield). White solid. TCL: R_f = 0.13 (petroleum ether/ethyl acetate = 10/1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (dd, *J* = 8.5, 1.2 Hz, 4H), 7.68 – 7.64 (m, 2H), 7.57 – 7.53 (m, 4H), 7.40 (dt, *J* = 7.6, 1.3 Hz, 2H), 7.36 – 7.32 (m, 1H), 7.29 (dd, *J* = 7.6, 1.9 Hz, 1H), 5.03 (dd, *J* = 8.8, 4.8 Hz, 1H), 3.88 – 3.72 (m, 2H), 2.21 – 2.01 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.55, 136.20, 133.97, 132.82, 129.96, 129.56, 129.16, 128.18, 127.65, 127.54, 59.71, 46.04, 35.13. IR (neat): 3068, 2957, 2104, 1448, 1376, 1169, 1174, 1088, 1040, 851, 748, 685 cm^{-1}. HRMS m/z (ESI) calcd for $C_{21}H_{19}ClN_4O_4S_2Na[M+Na]^+$: 513.0428, found 513.0427.



4o

N-(3-azido-3-(2,6-dimethylphenyl)propyl)-N-(phenylsulfonyl)benzenesulfonamide (4o): The general procedure was followed, and flash chromatography (pentane/EtOAc = 20/1 – pentane/EtOAc = 15/1) afforded 99.8mg (52%). Colorless oil. TCL: R_f = 0.33 (pentane/EtOAc = 5/1). ^{1}H NMR

(400 MHz, $CDCl_3$) δ 8.03 – 7.95 (m, 4H), 7.68 – 7.63 (m, 2H), 7.57 – 7.52 (m, 4H), 7.13 – 7.07 (m, 1H), 7.00 (dd, *J* = 12.6, 7.6 Hz, 2H), 5.07 (dd, *J* = 10.3, 4.9 Hz, 1H), 3.96 –3.88 (m, 1H), 3.70 – 3.63 (m, 1H), 2.35 (s, 6H), 2.27 – 2.18 (m, 1H), 2.11 – 2.02 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.56, 136.48, 134.28, 133.96, 129.16, 128.19, 128.04, 59.54, 46.82, 33.61, 20.70. IR (neat): 3067, 2958, 2101, 1448, 1383,1448, 1085, 909, 846, 811, 738, 686 cm^{-1}. HRMS m/z (ESI) calcd for $C_{23}H_{24}N_4O_4S_2Na[M+Na]^+$: 507.1131, found 507.1131.



12

N-(3-hydroxy-3-phenylpropyl)-N-(phenylsulfonyl)benzenesulfonamide (12)： The general procedure was followed, and flash chromatography (pentane/EtOAc = 20:1 – pentane/EtOAc = 5/1) afforded 61.5mg (36%). Colorless oil. TCL: R_f = 0.08 (pentane/EtOAc = 5/1). ^1H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.99 (m, 4H), 7.69 – 7.65 (m, 2H), 7.58 – 7.53 (m, 4H), 7.36 – 7.27 (m, 3H), 7.23 – 7.19 (m, 2H), 4.67 (d, J = 9.0 Hz, 1H), 3.95 – 3.83 (m, 2H), 2.38 (d, J = 3.1 Hz, 1H), 2.20 – 2.11 (m, 1H), 2.08 – 1.98 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.32, 139.68, 133.92, 129.16, 128.53, 128.19, 127.73, 125.62, 70.91, 46.38, 38.66 cm^{-1}. HRMS m/z (ESI) calcd for $C_{23}H_{24}N_4O_4S_2Na[M+Na]^+$: 454.0753, found 454.0754.

8. Reference

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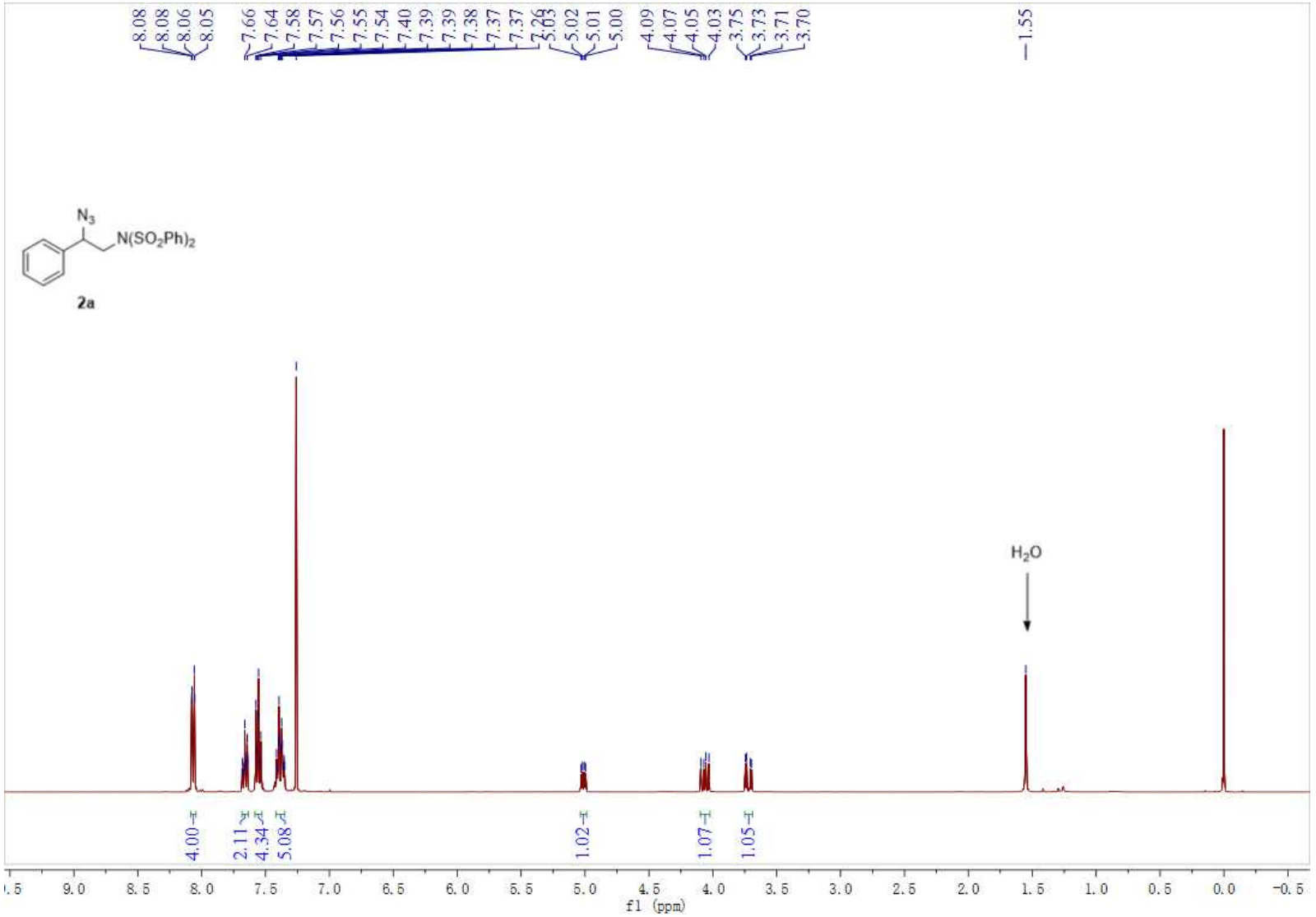
9. ^{1}H and ^{13}C NMR spectrum of compounds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7.37
5.02
5.01
5.00
4.09
4.07
4.05
4.03
3.75
3.73
3.71
3.70
1.55
N3
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H2O
4.00
2.11
4.34
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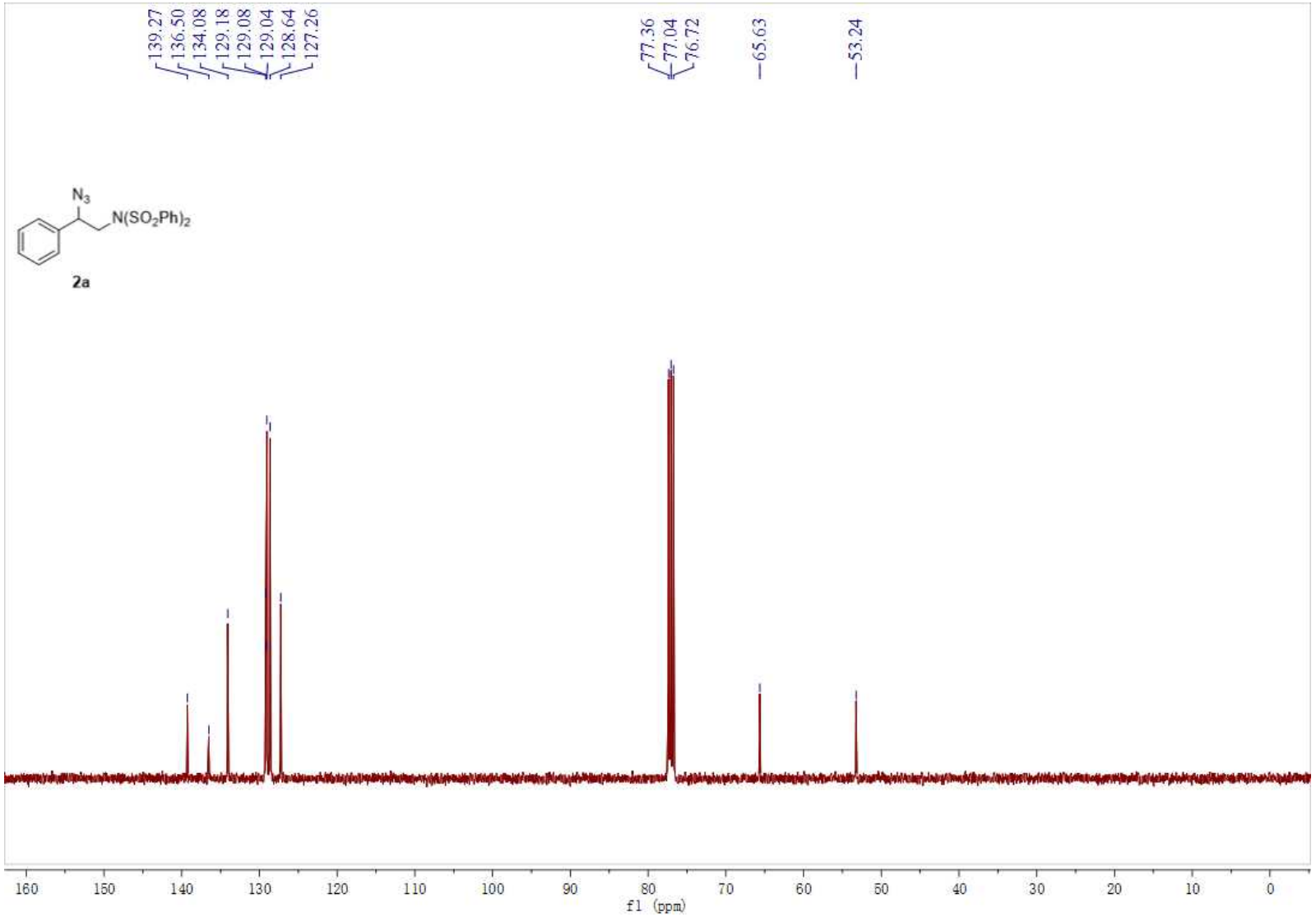
1.02
1.07
1.05
f1 (ppm)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N(SO2Ph)2
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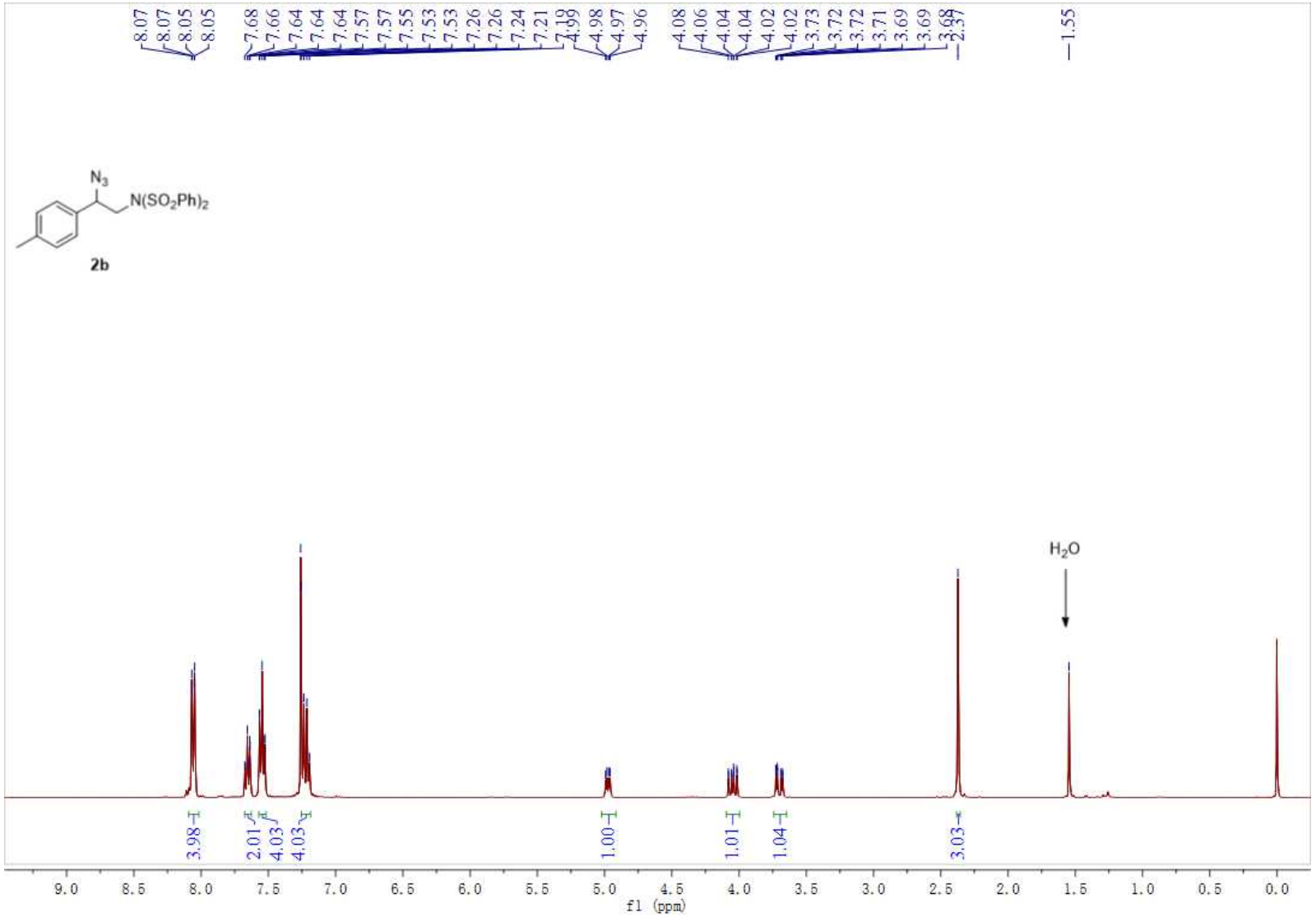
f1 (ppm)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3.68
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2b
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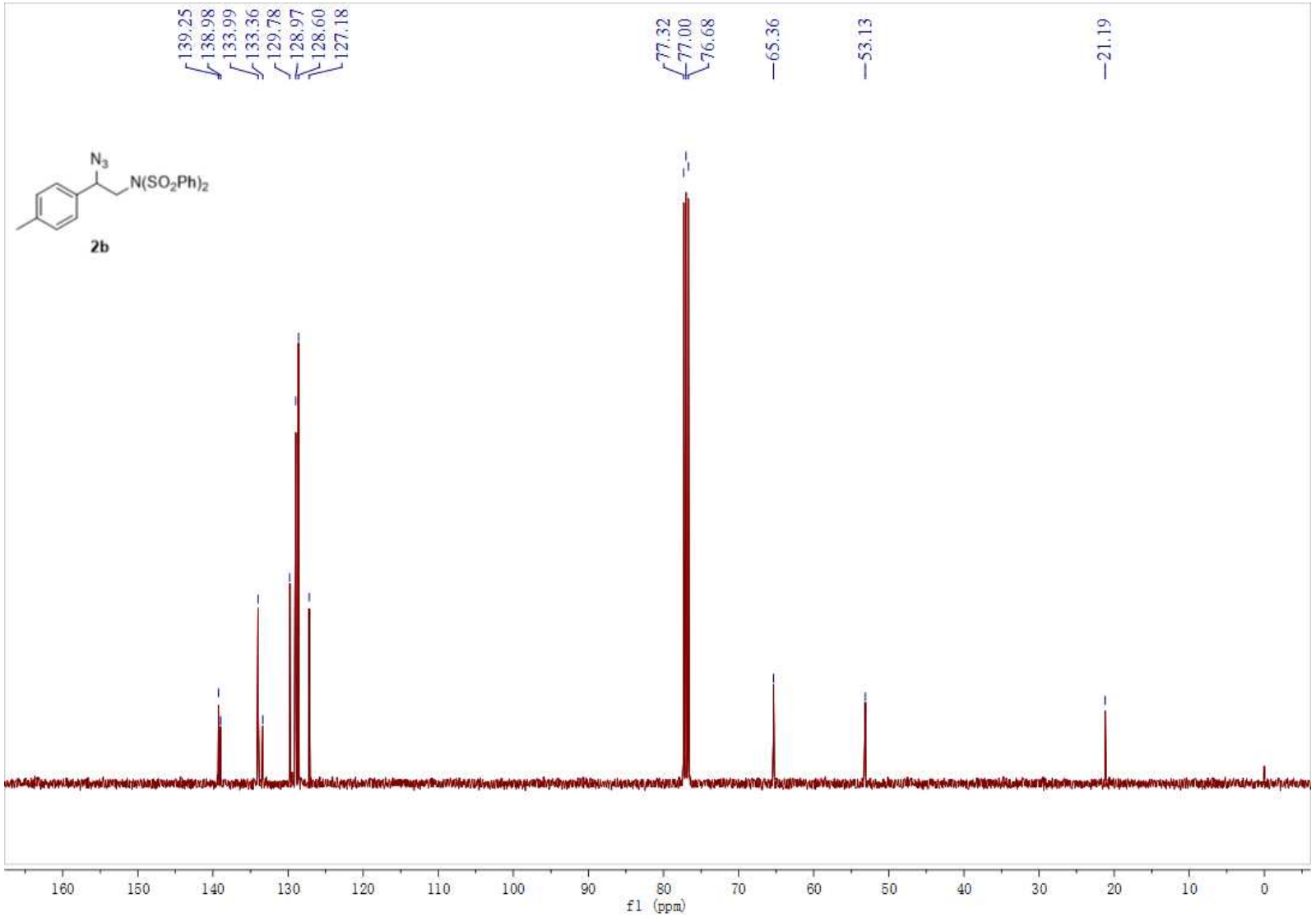
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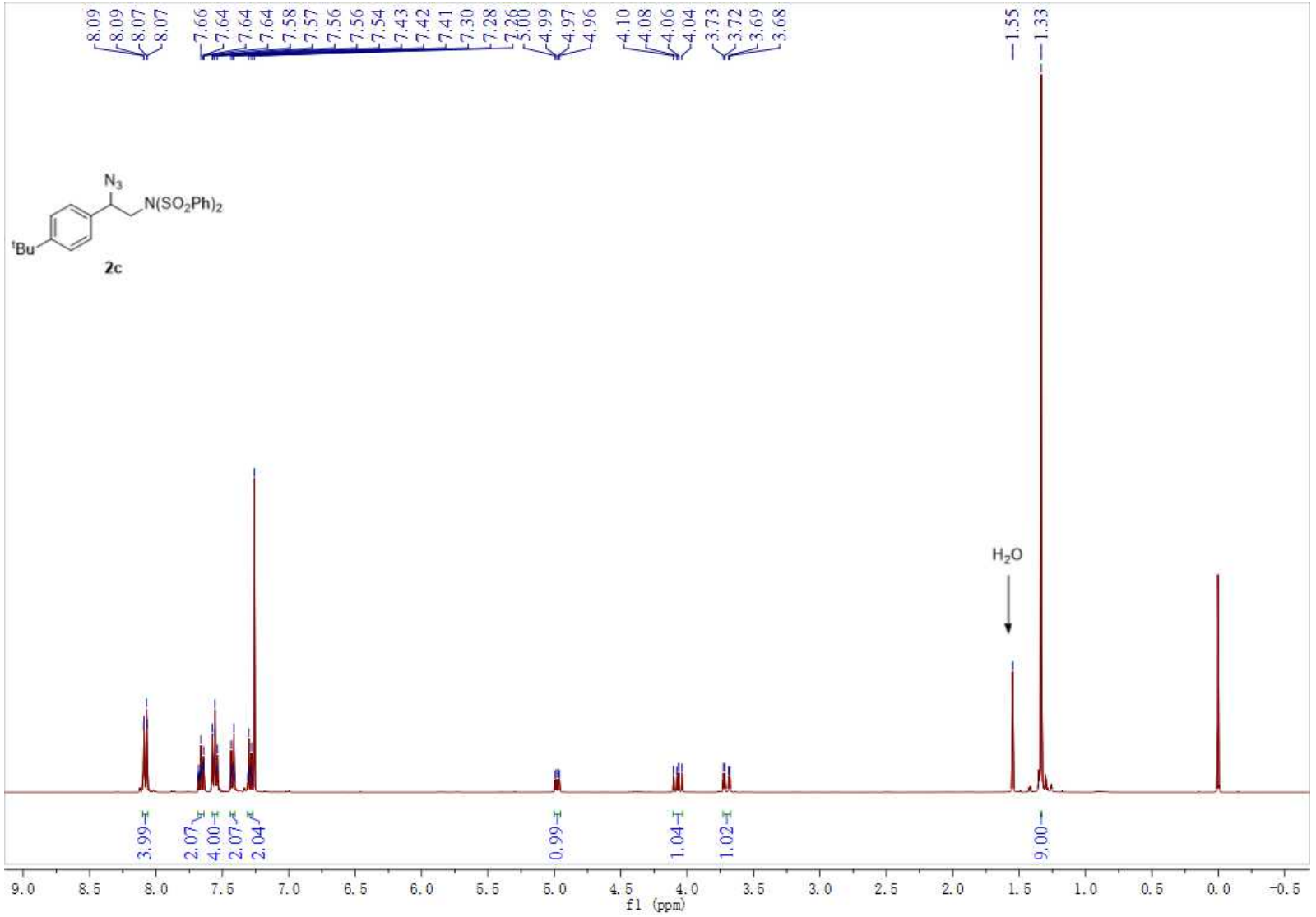
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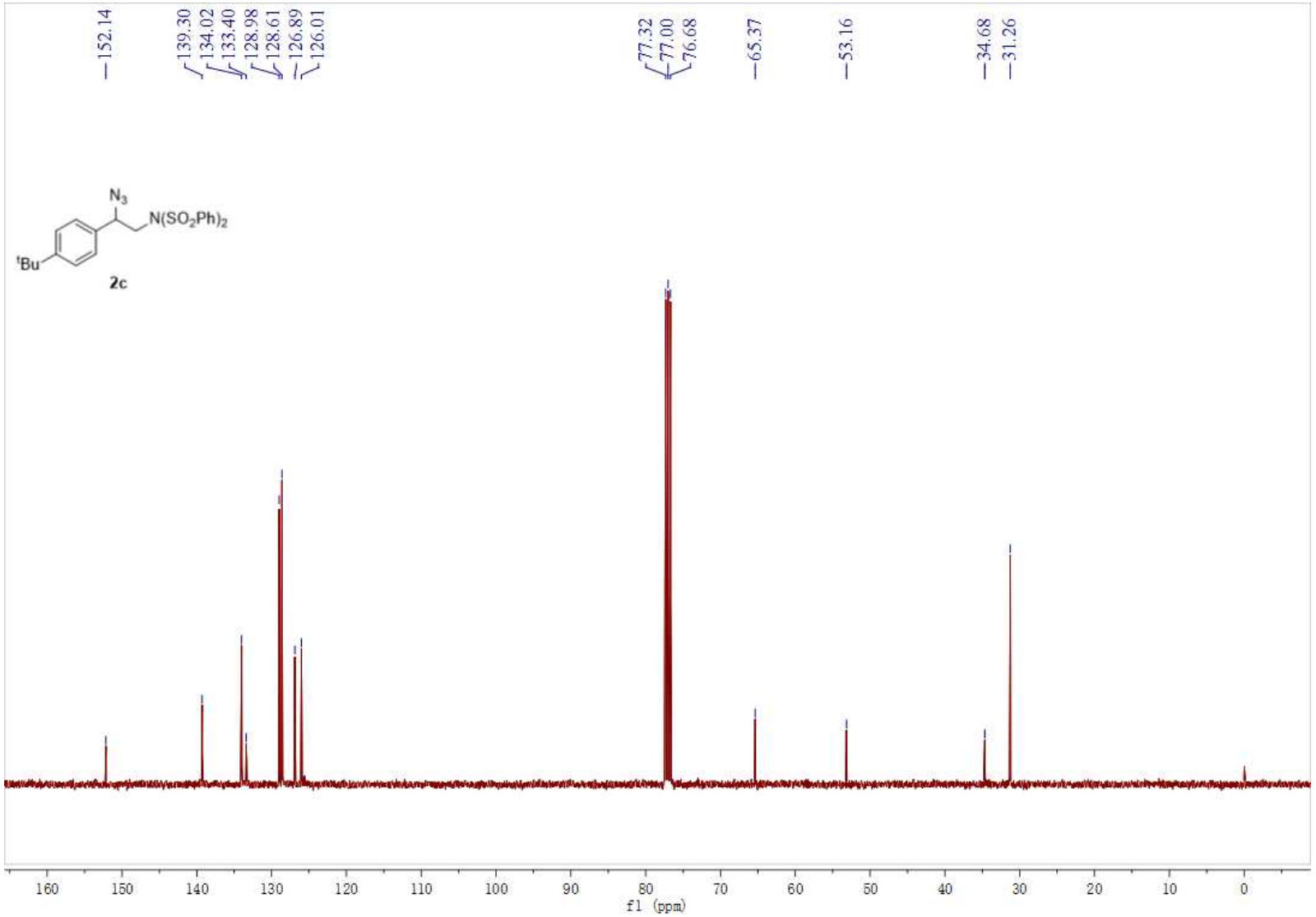
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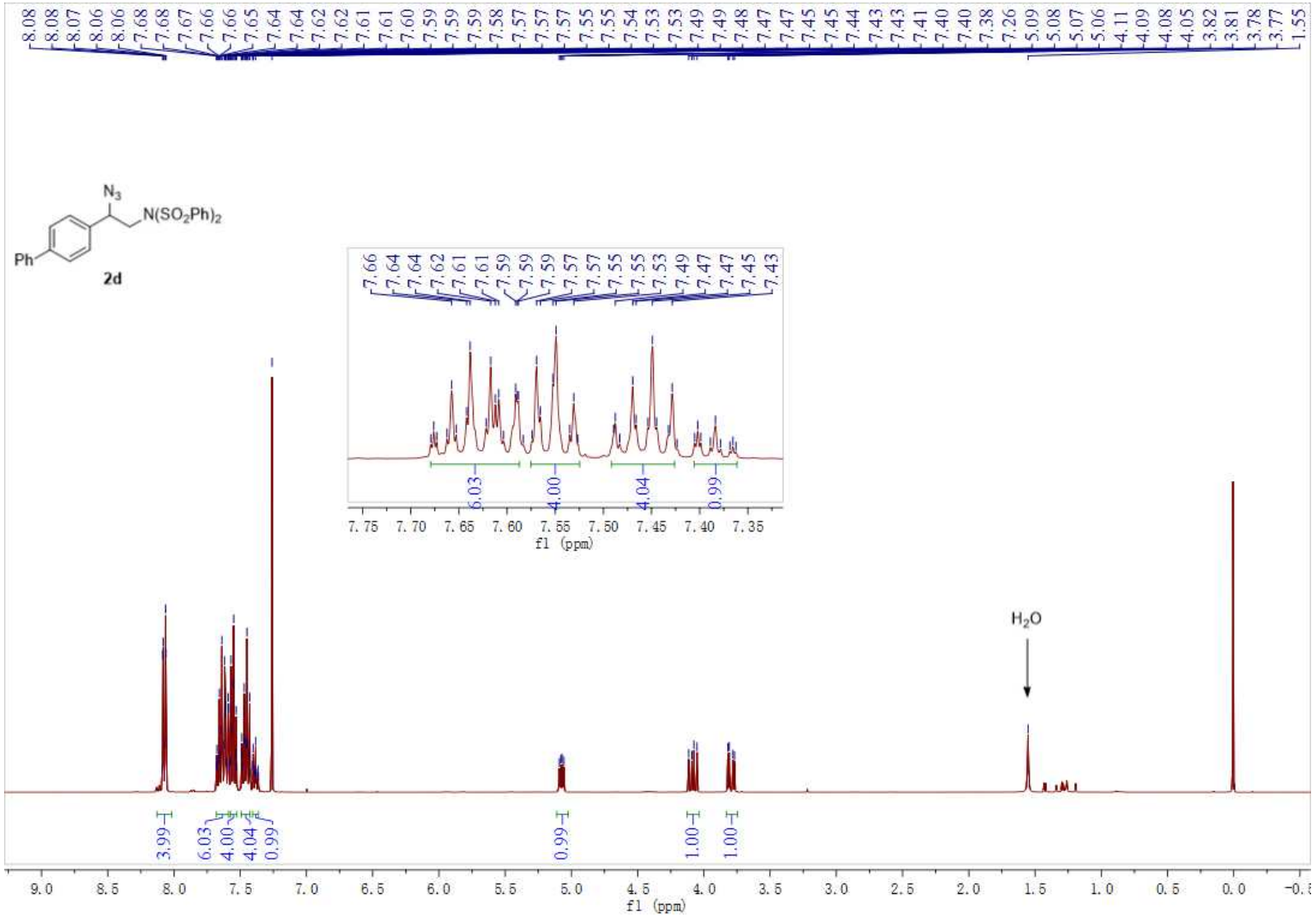
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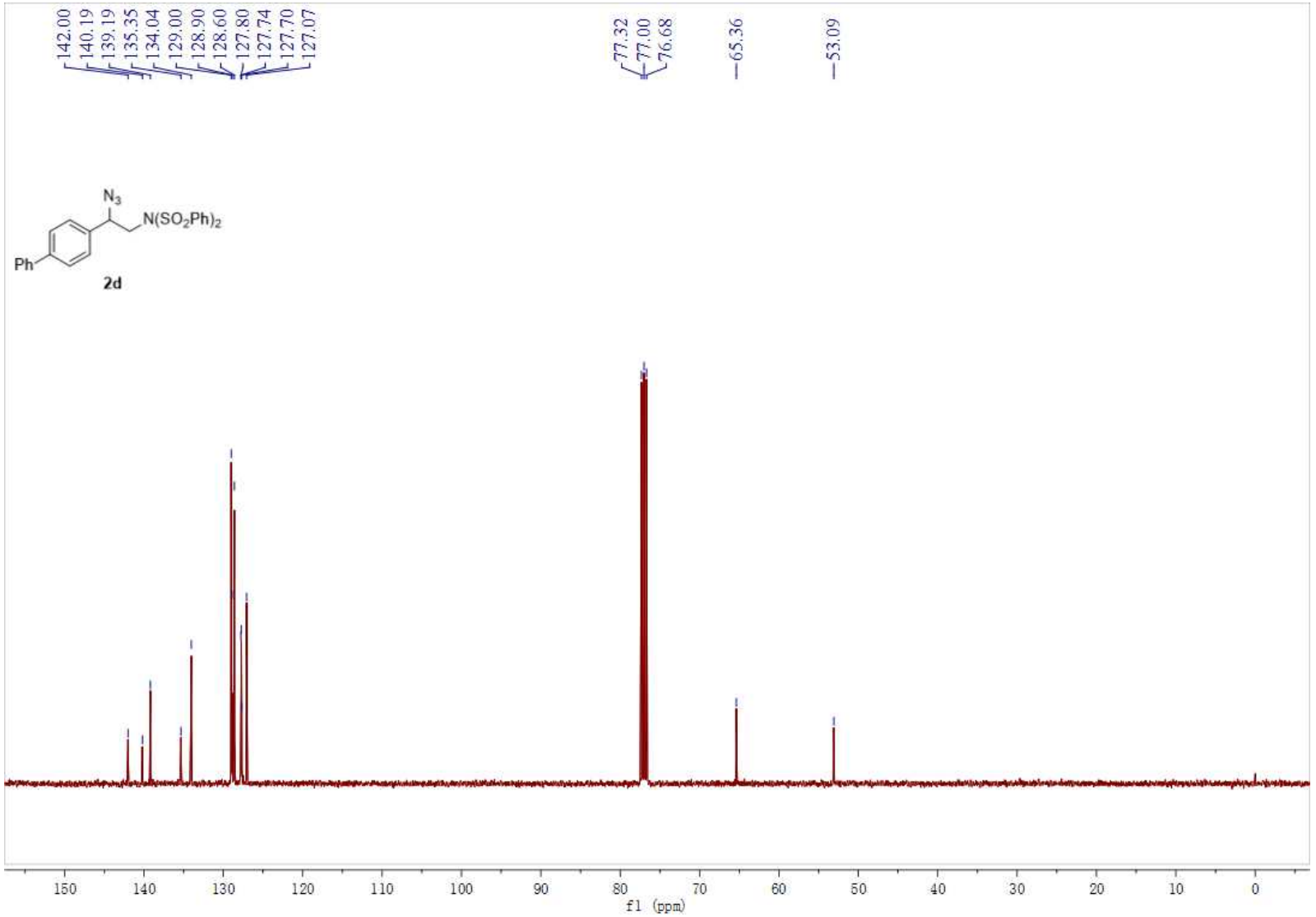
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N(SO2Ph)2
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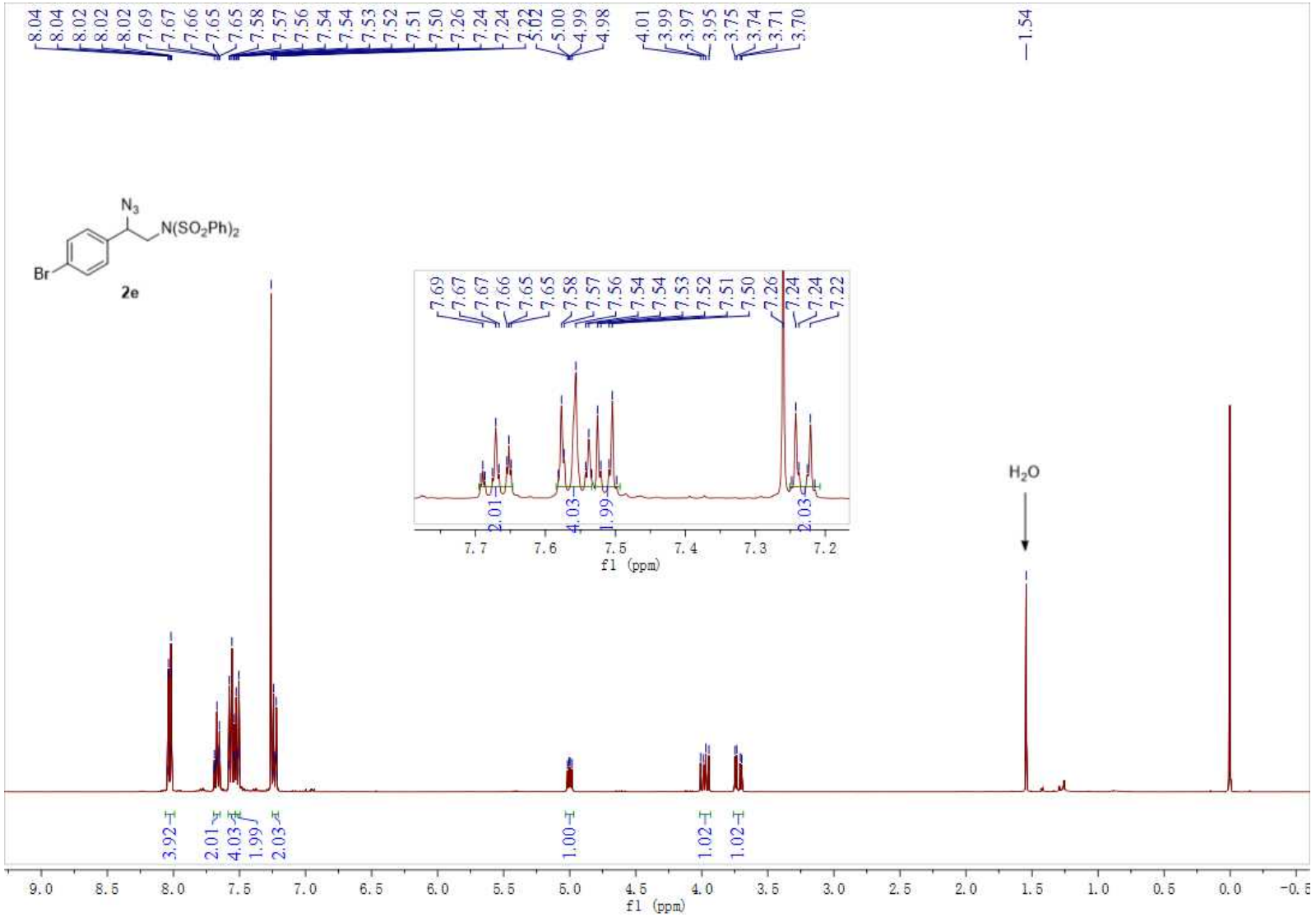
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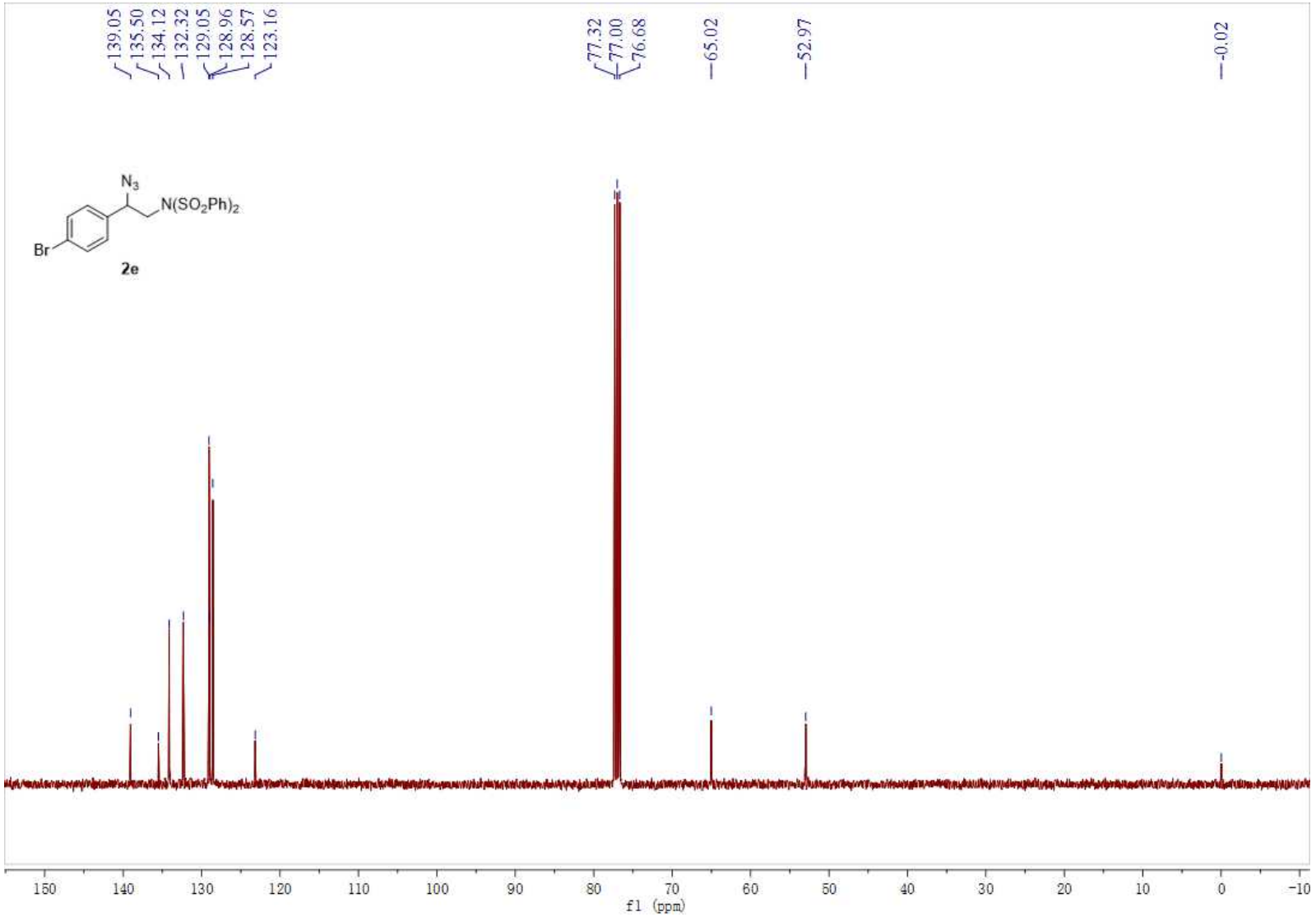
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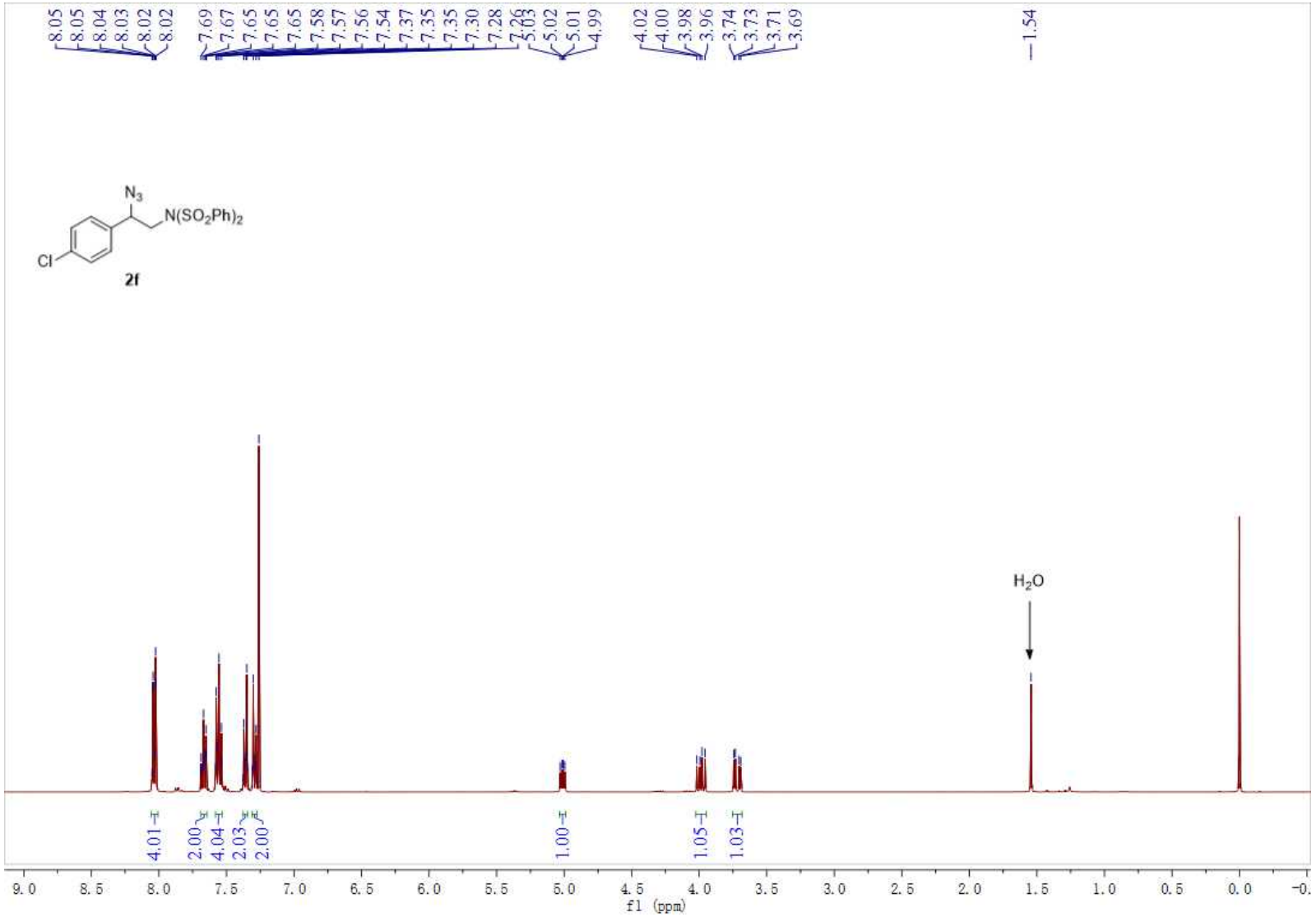
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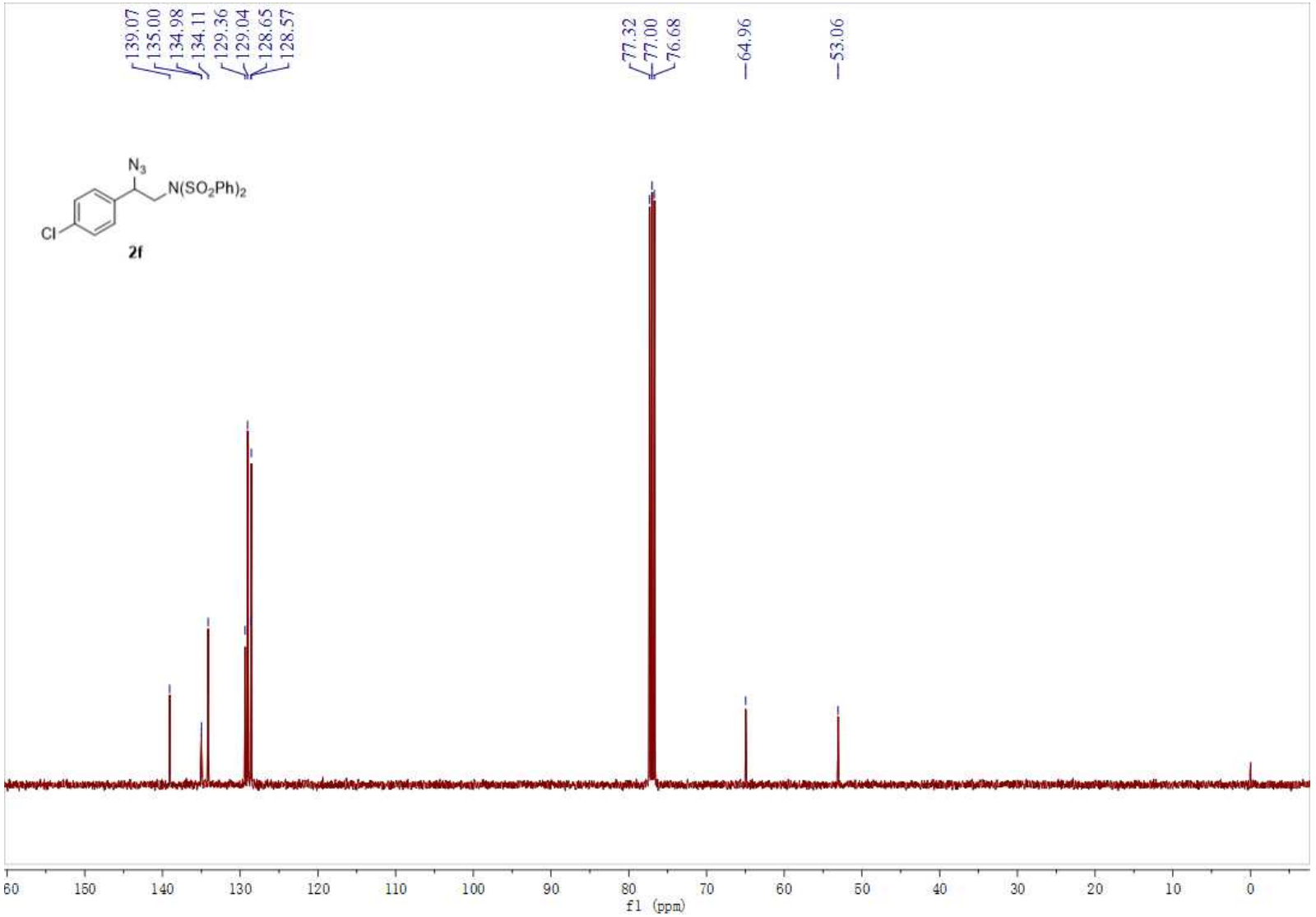
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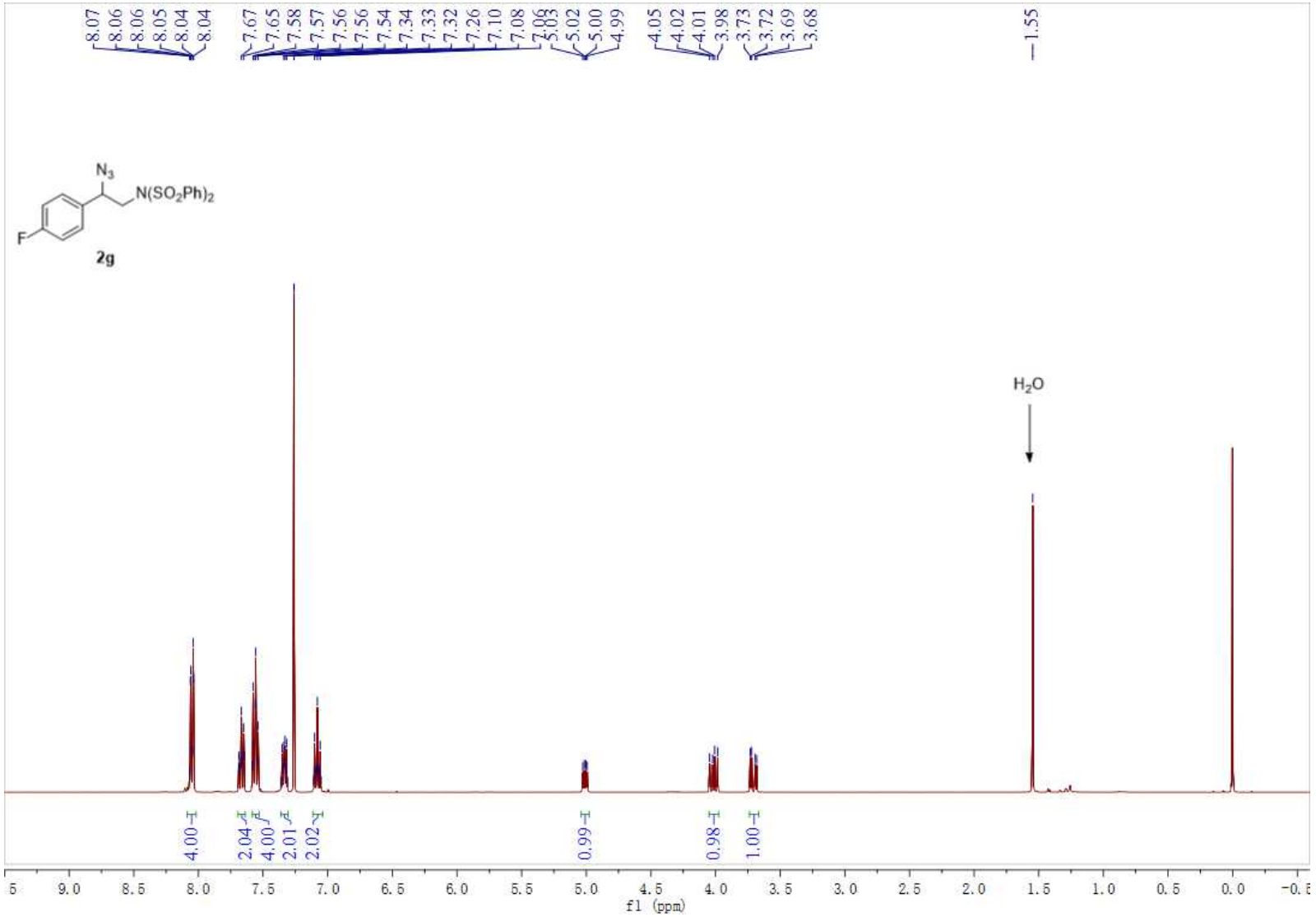
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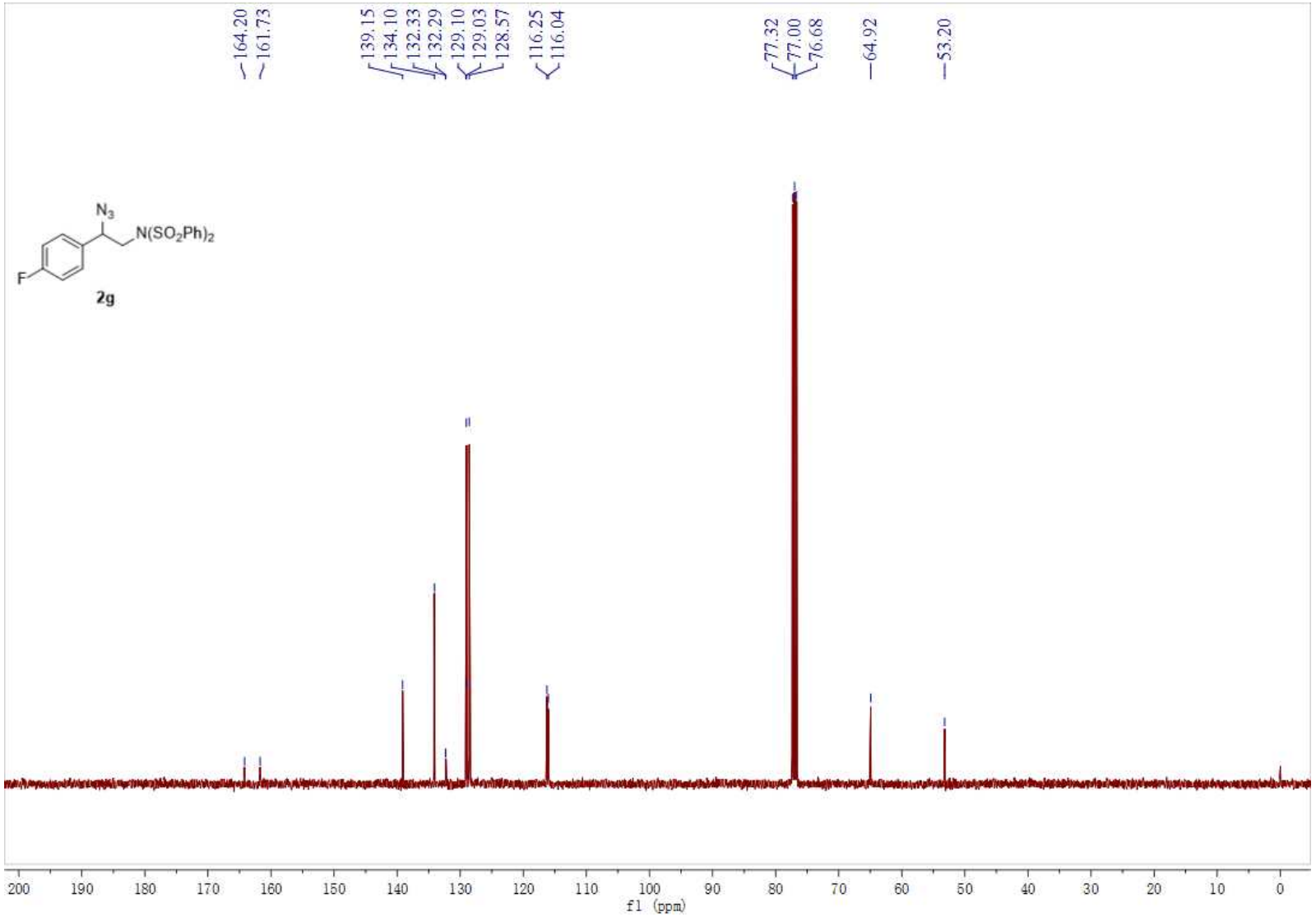
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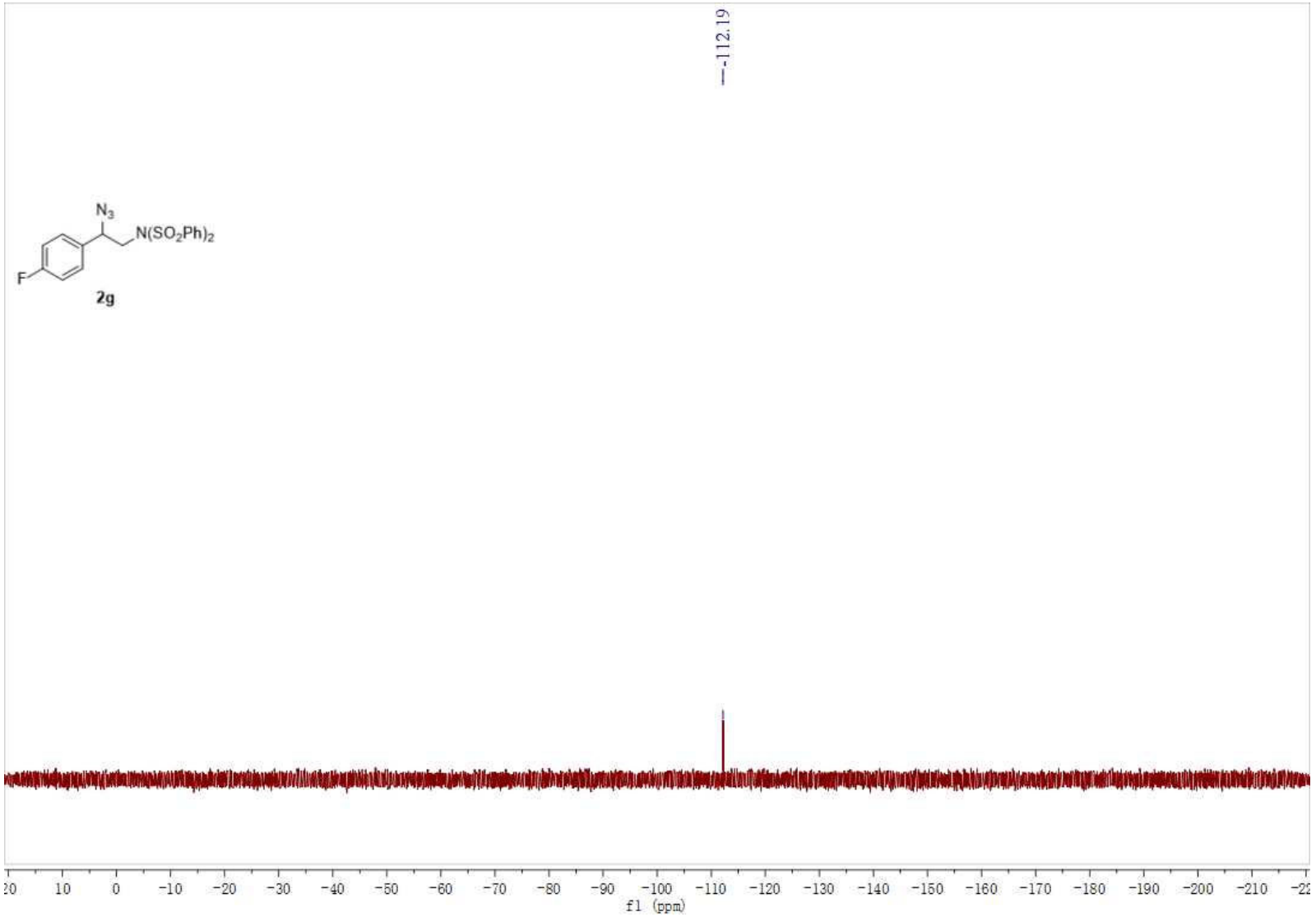
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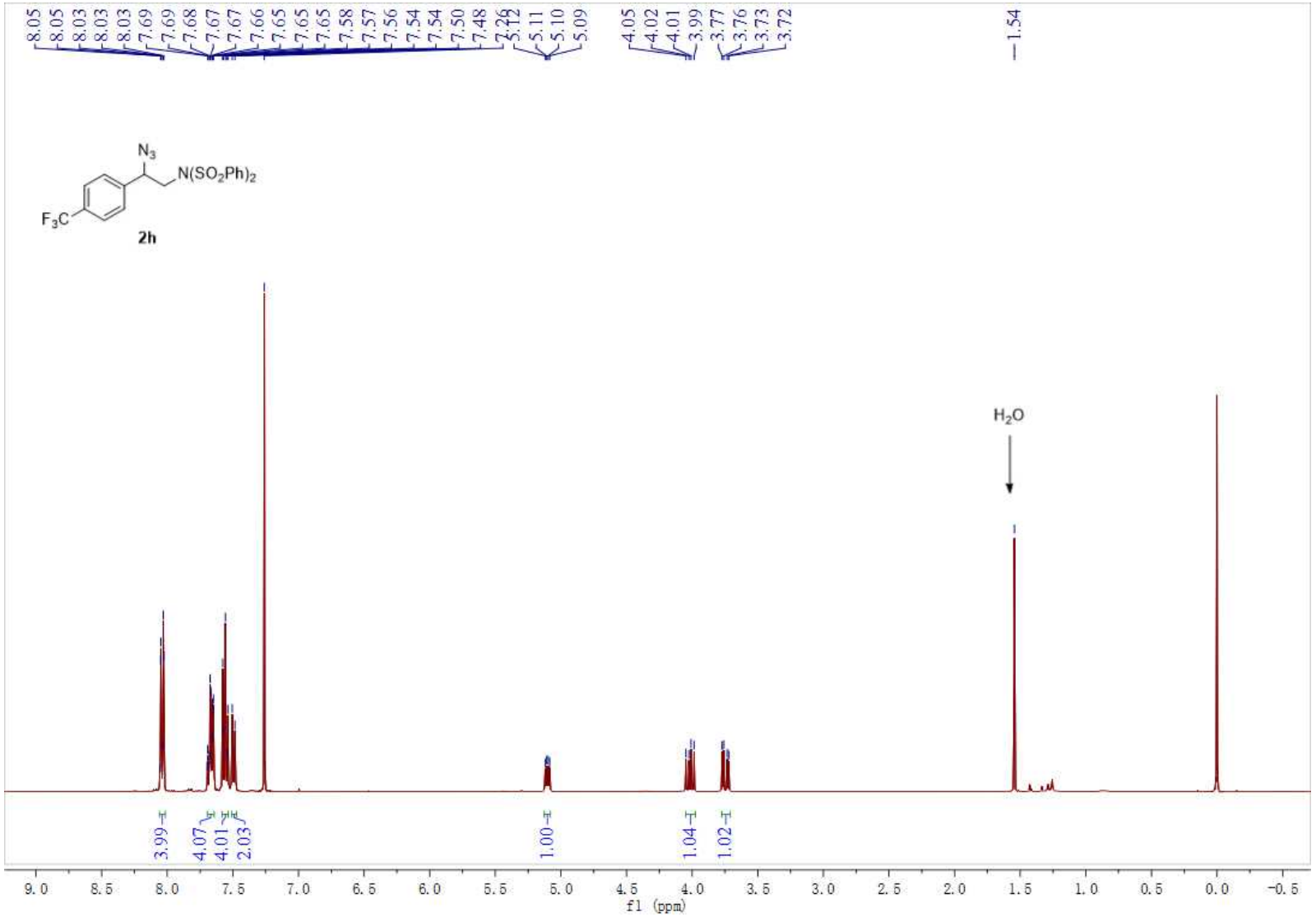
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H2O
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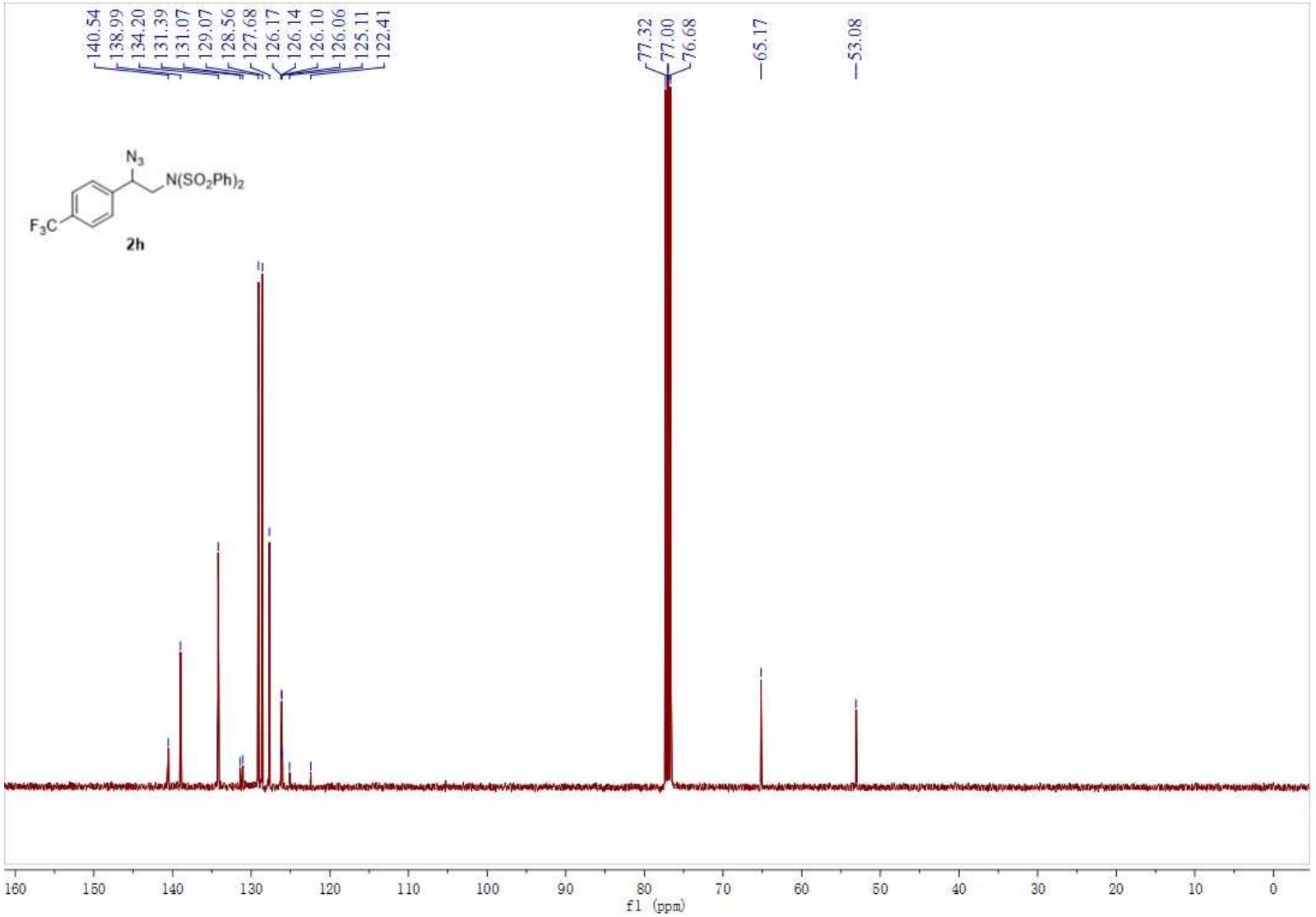
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F3C
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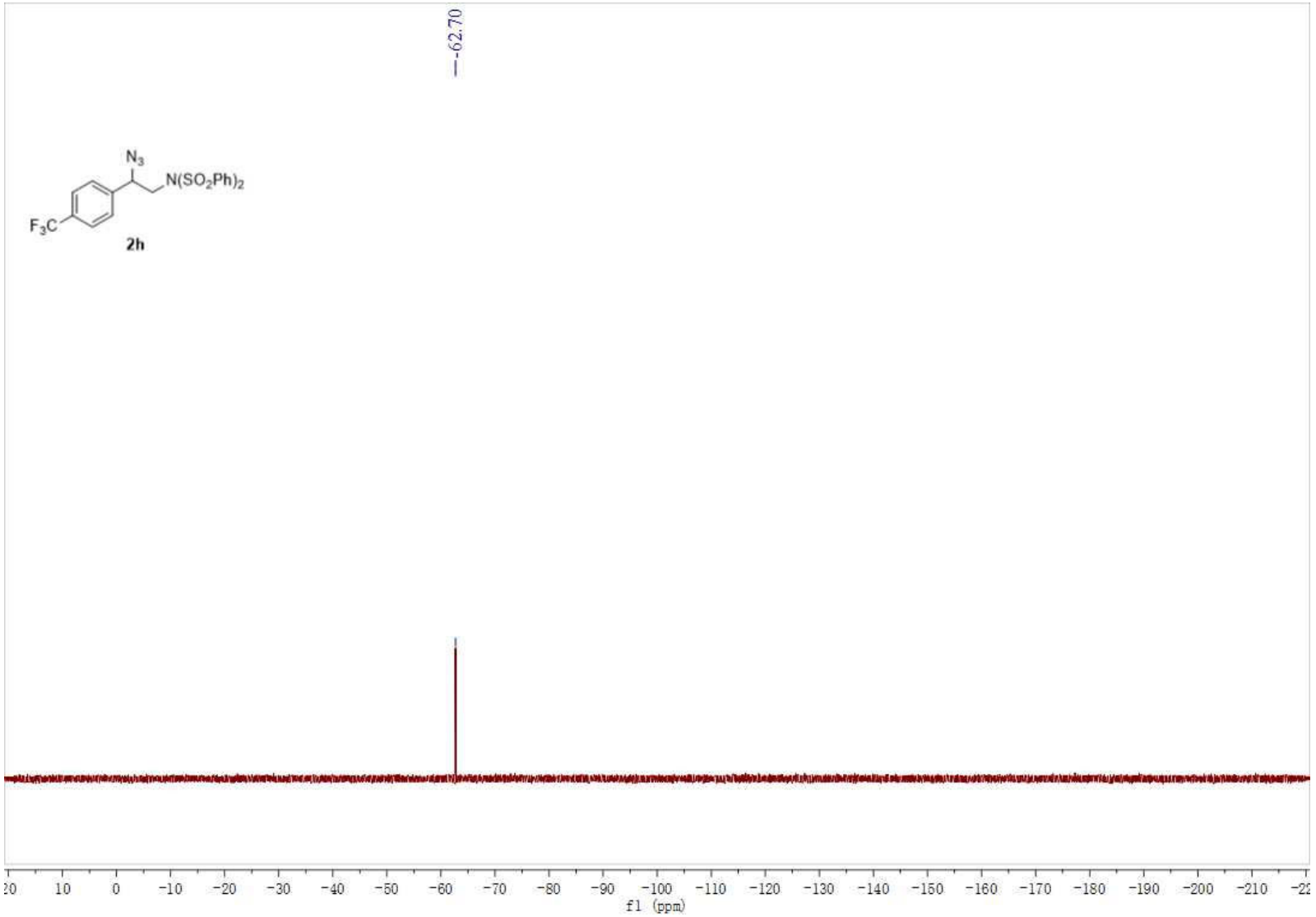
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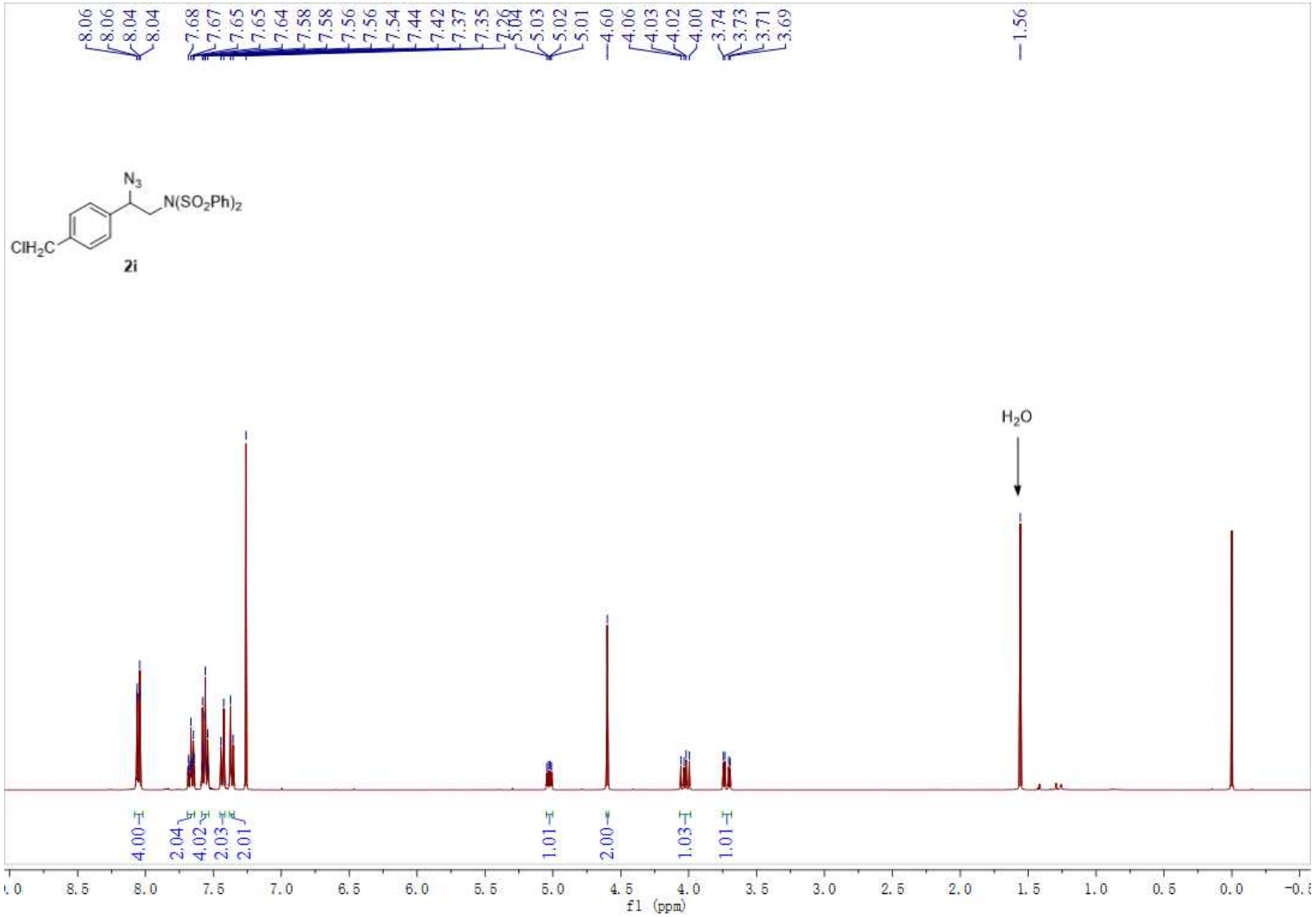
-210
f1 (ppm)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7.56
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7.54
7.44
7.42
7.37
7.35
7.26
5.04
5.03
5.02
5.01
4.60
4.06
4.03
4.02
4.00
3.74
3.73
3.71
3.69
1.56
N3
N(SO2Ph)2
ClH2C
2i
H2O
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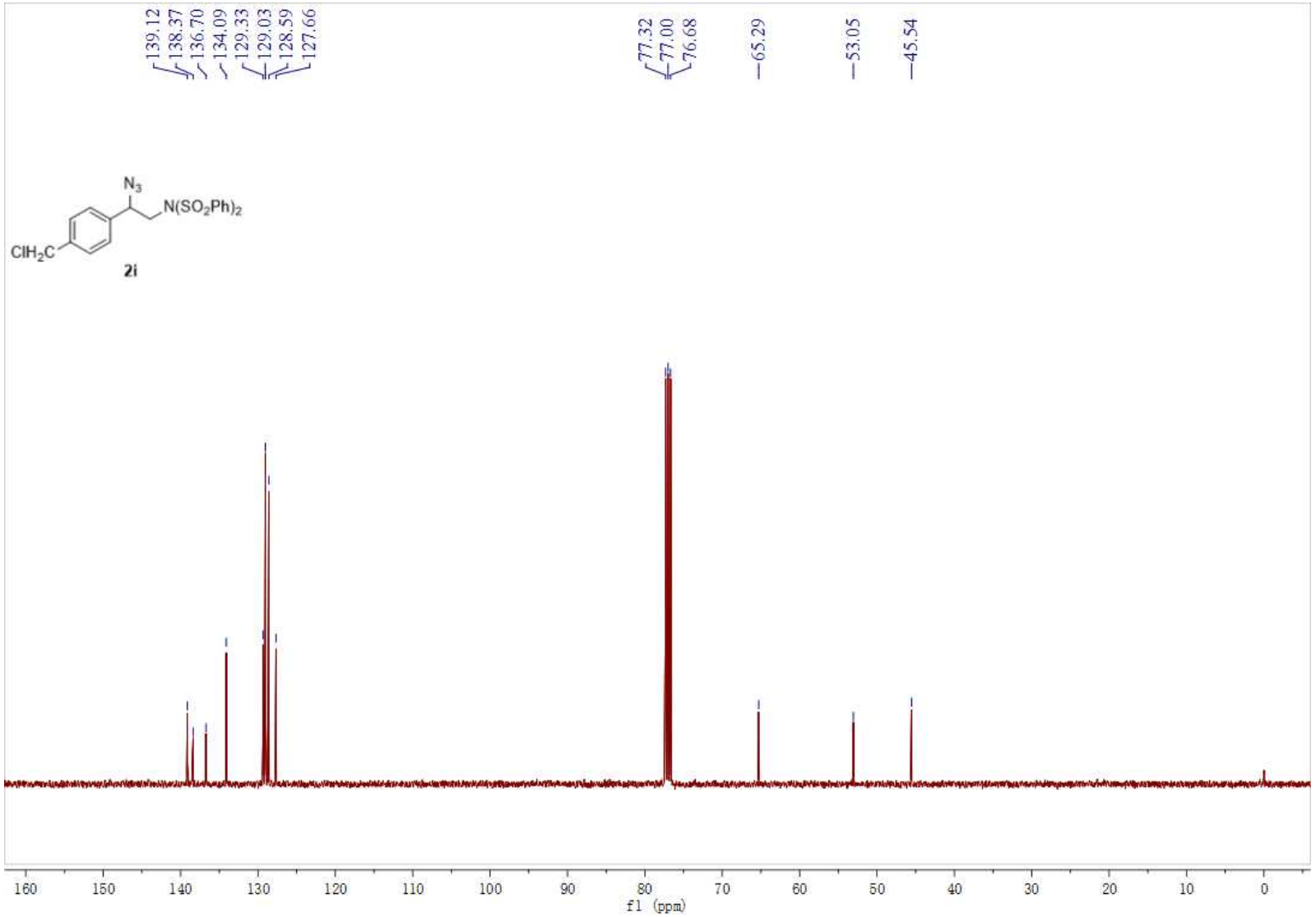
2.04
4.02
2.03
2.01
1.01
2.00
1.03
1.01
f1 (ppm)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76.68
65.29
53.05
45.54
N3
N(SO2Ph)2
ClH2C
2i
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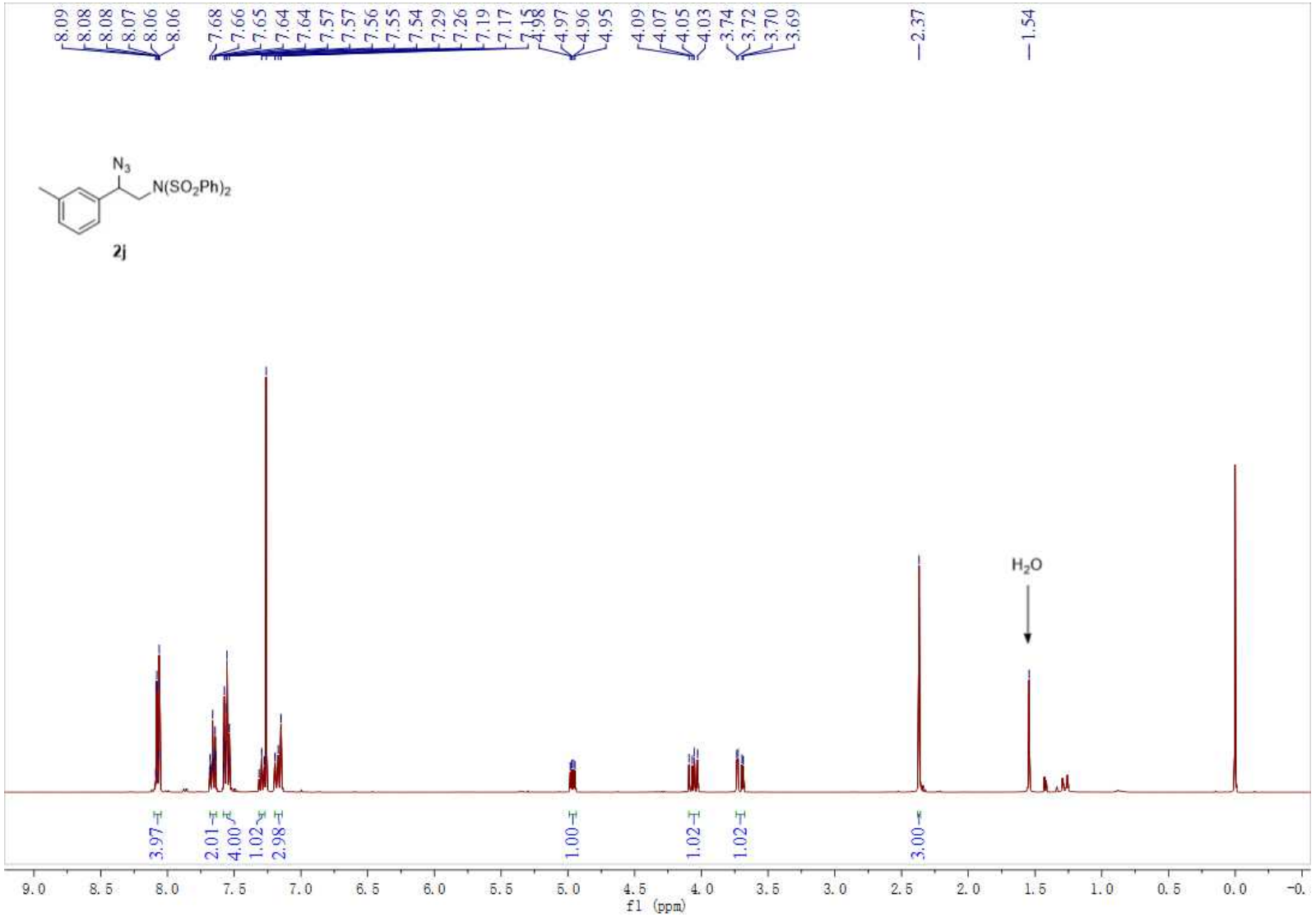
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.26
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7.15
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4.09
4.07
4.05
4.03
3.74
3.72
3.70
3.69
2.37
1.54
N3
N(SO2Ph)2
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H2O
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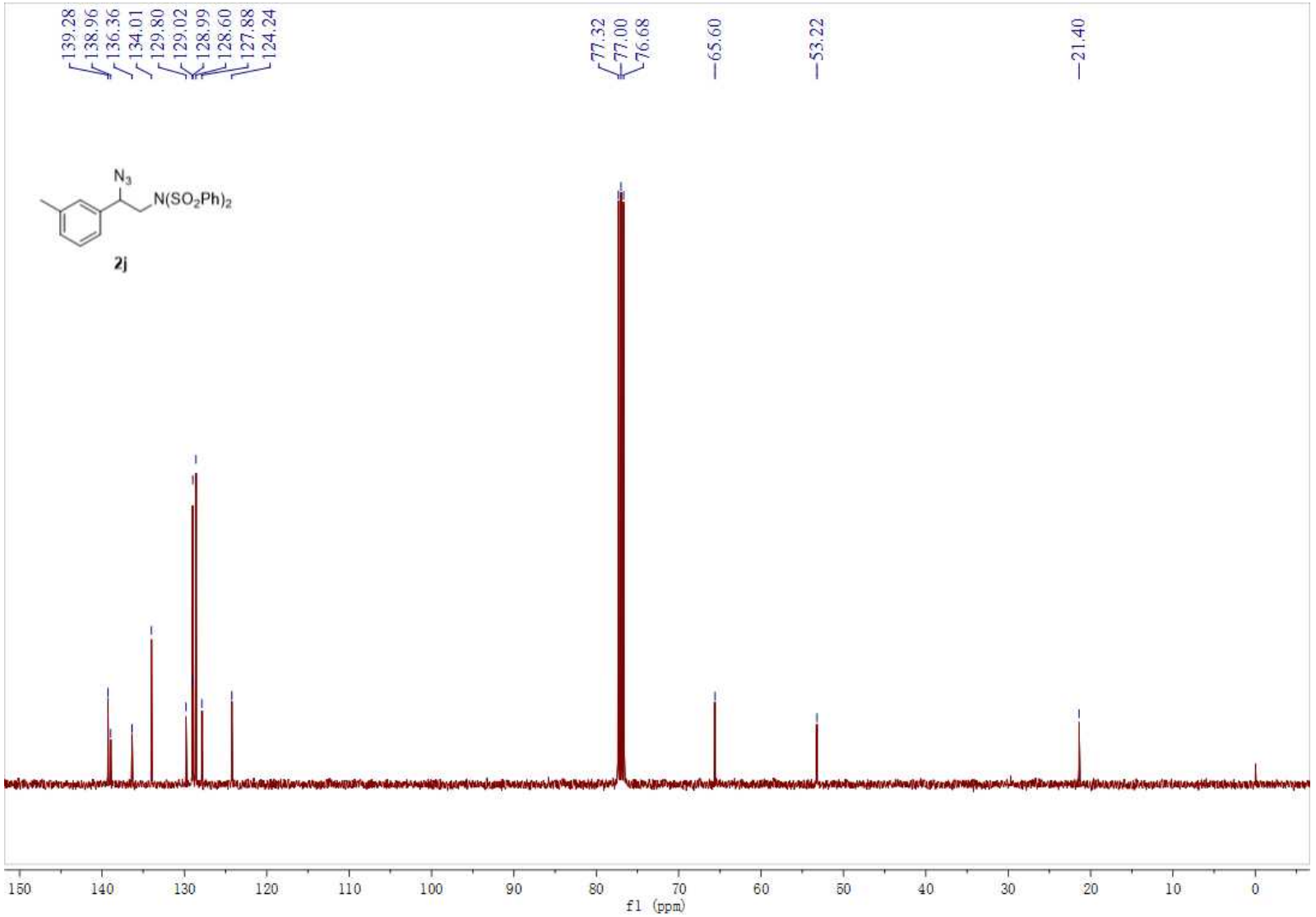
4.00
1.02
2.98
1.00
1.02
1.02
3.00
f1 (ppm)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124.24
77.32
77.00
76.68
65.60
53.22
21.40
N3
N(SO2Ph)2
2j
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100
90
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70
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50
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30
20
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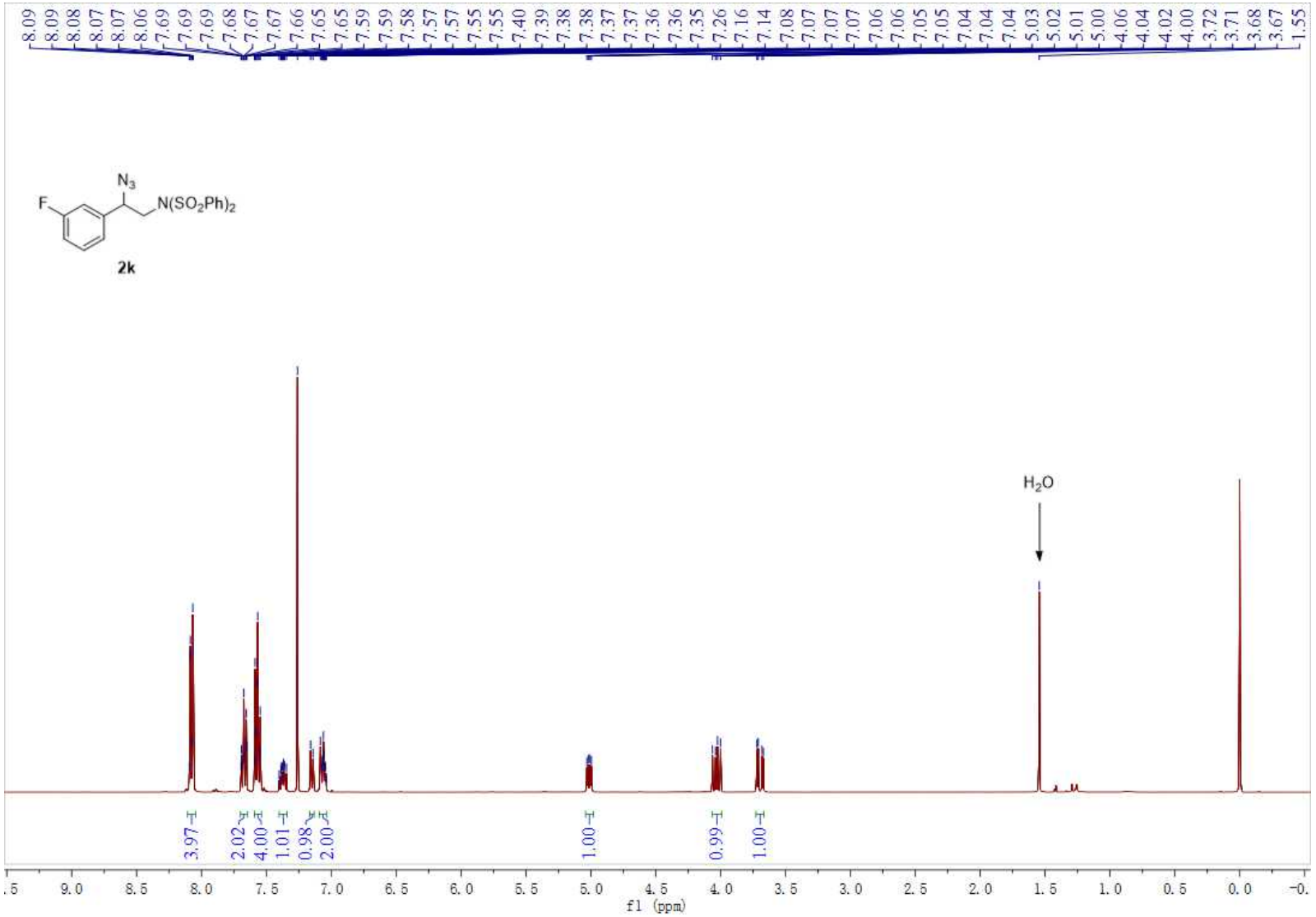
0
f1 (ppm)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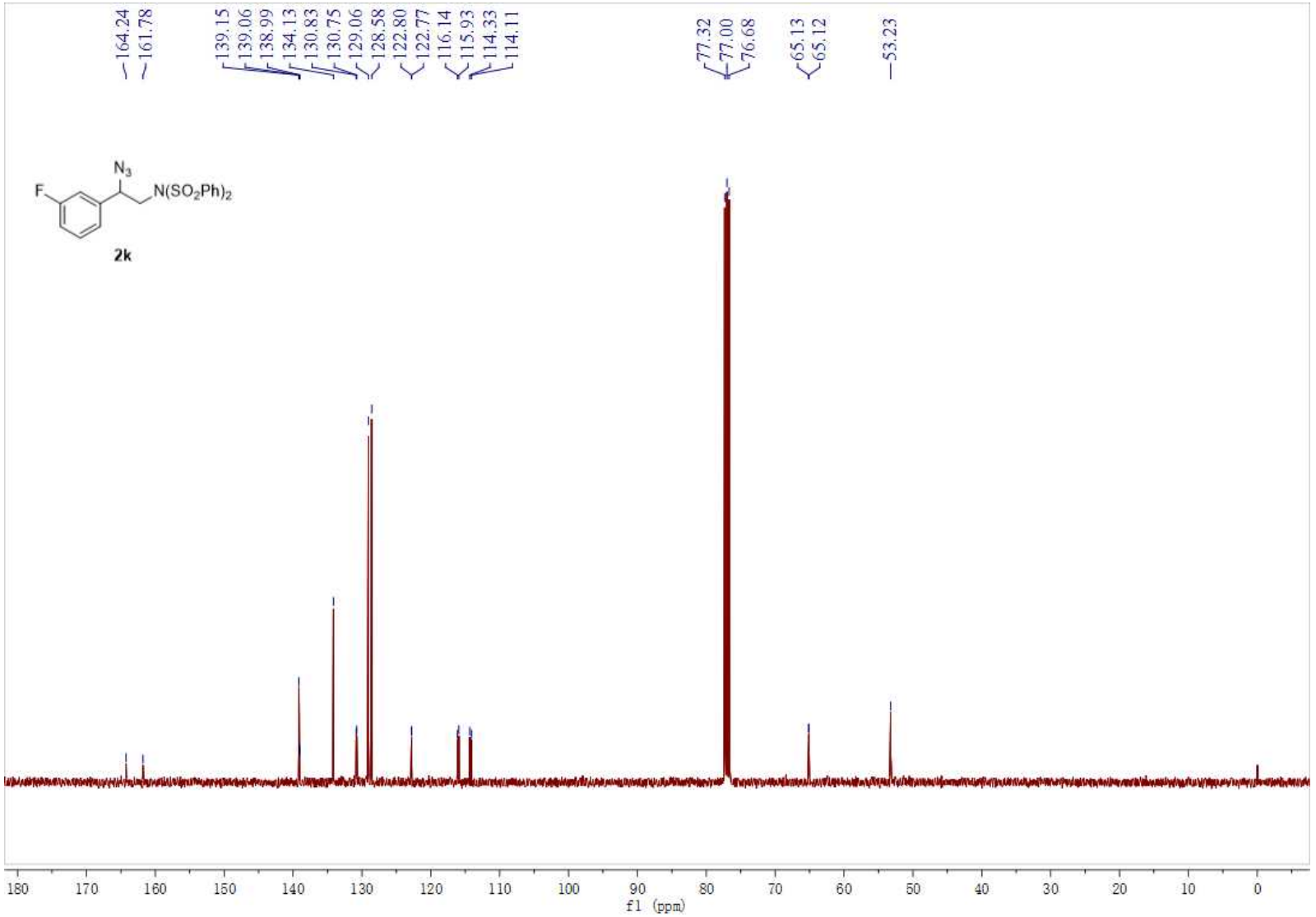
N3
F
N(SO2Ph)2
2k
H2O
3.97
2.02
4.00
1.01
0.98
2.00
1.00
0.99
1.00
f1 (ppm)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161.78
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134.13
130.83
130.75
129.06
128.58
122.80
122.77
116.14
115.93
114.33
114.11
77.32
77.00
76.68
65.13
65.12
53.23
F
N3
N(SO2Ph)2
2k
180
170
160
150
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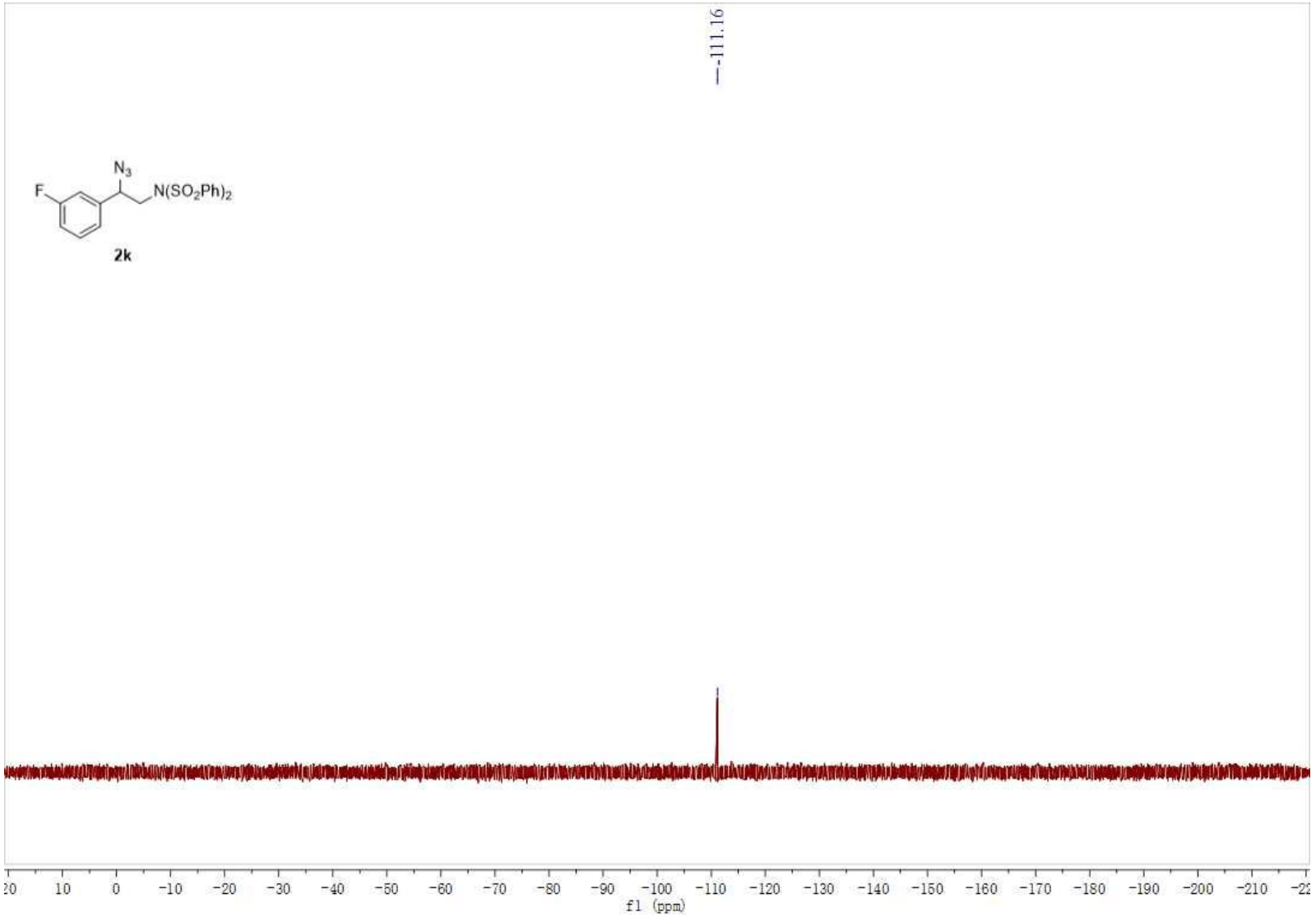
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


-111.16
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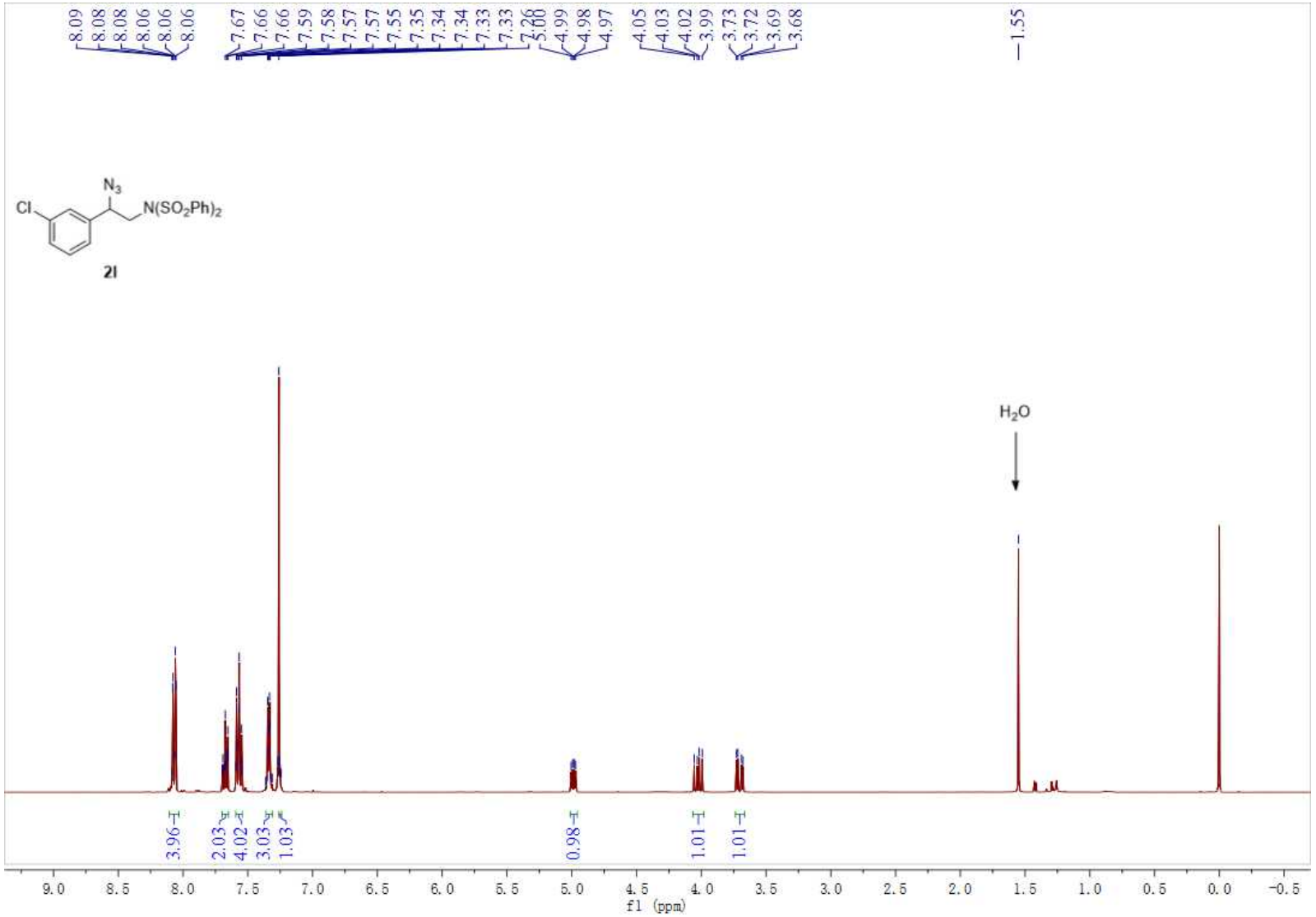
F
N(SO2Ph)2
2k
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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8.06
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7.66
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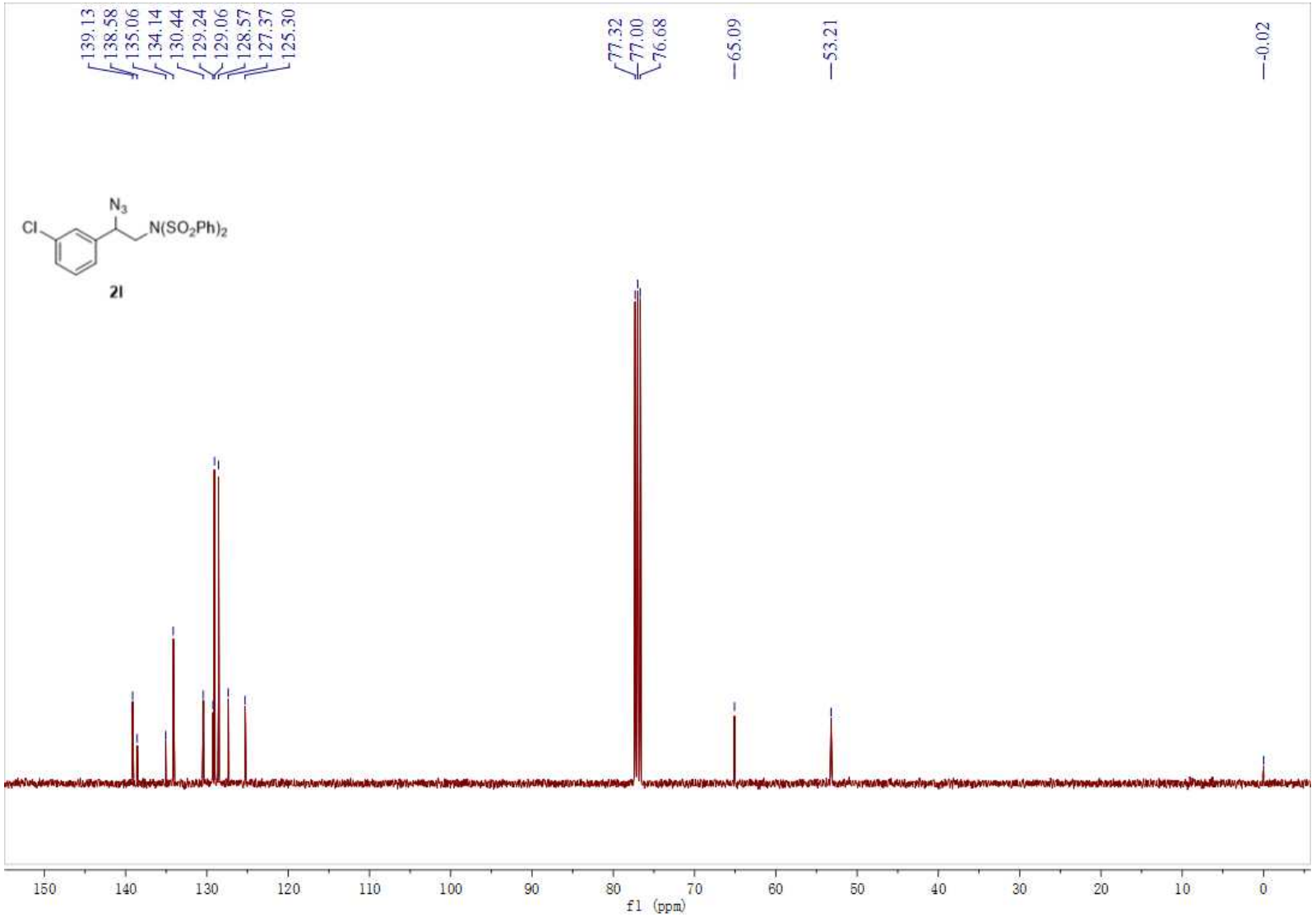
7.59
7.58
7.57
7.57
7.55
7.35
7.34
7.34
7.33
7.33
5.00
4.99
4.98
4.97
4.05
4.03
4.02
3.99
3.73
3.72
3.69
3.68
1.55
N3
Cl
N(SO2Ph)2
2l
H2O
3.96
2.03
4.02
3.03
1.03
0.98
1.01
1.01
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)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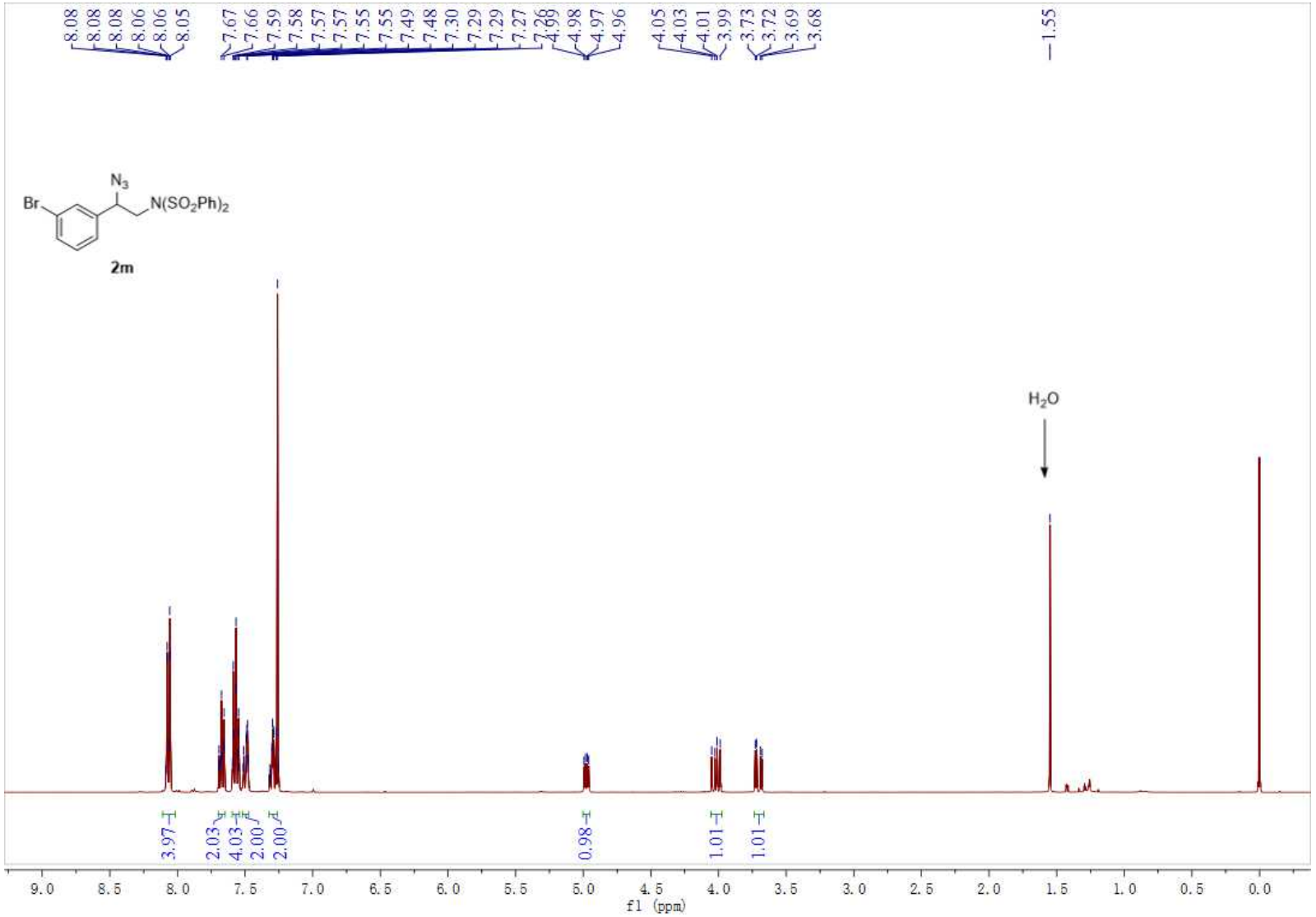
129.06
128.57
127.37
125.30
77.32
77.00
76.68
65.09
53.21
0.02
N3
Cl
N(SO2Ph)2
2l
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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8.08
8.06
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8.05
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7.66
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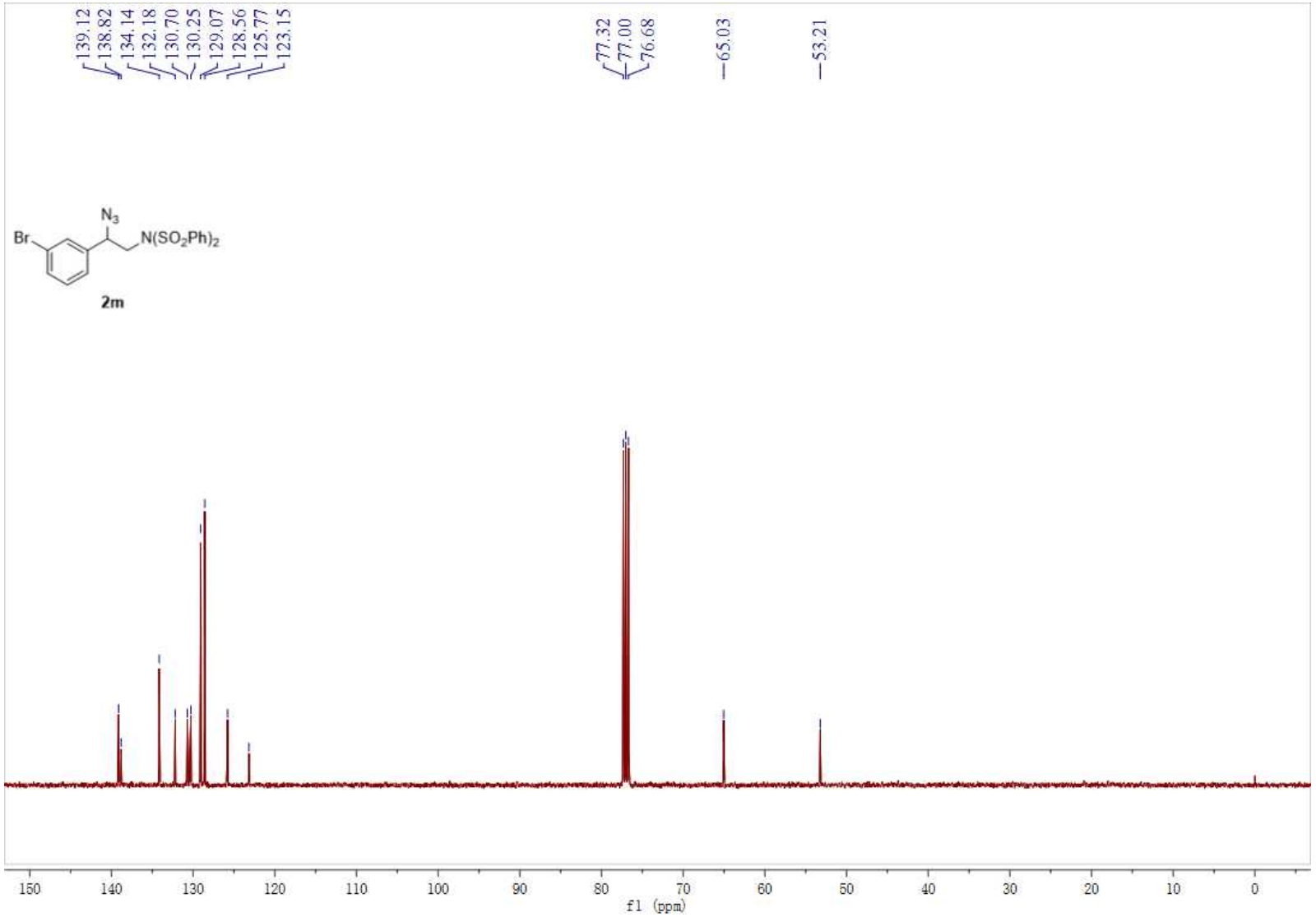
7.58
7.57
7.57
7.55
7.55
7.49
7.48
7.30
7.29
7.29
7.27
7.26
4.99
4.98
4.97
4.96
4.05
4.03
4.01
3.99
3.73
3.72
3.69
3.68
1.55
N3
Br
N(SO2Ph)2
2m
H2O
3.97
2.03
4.03
2.00
2.00
0.98
1.01
1.01
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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128.56
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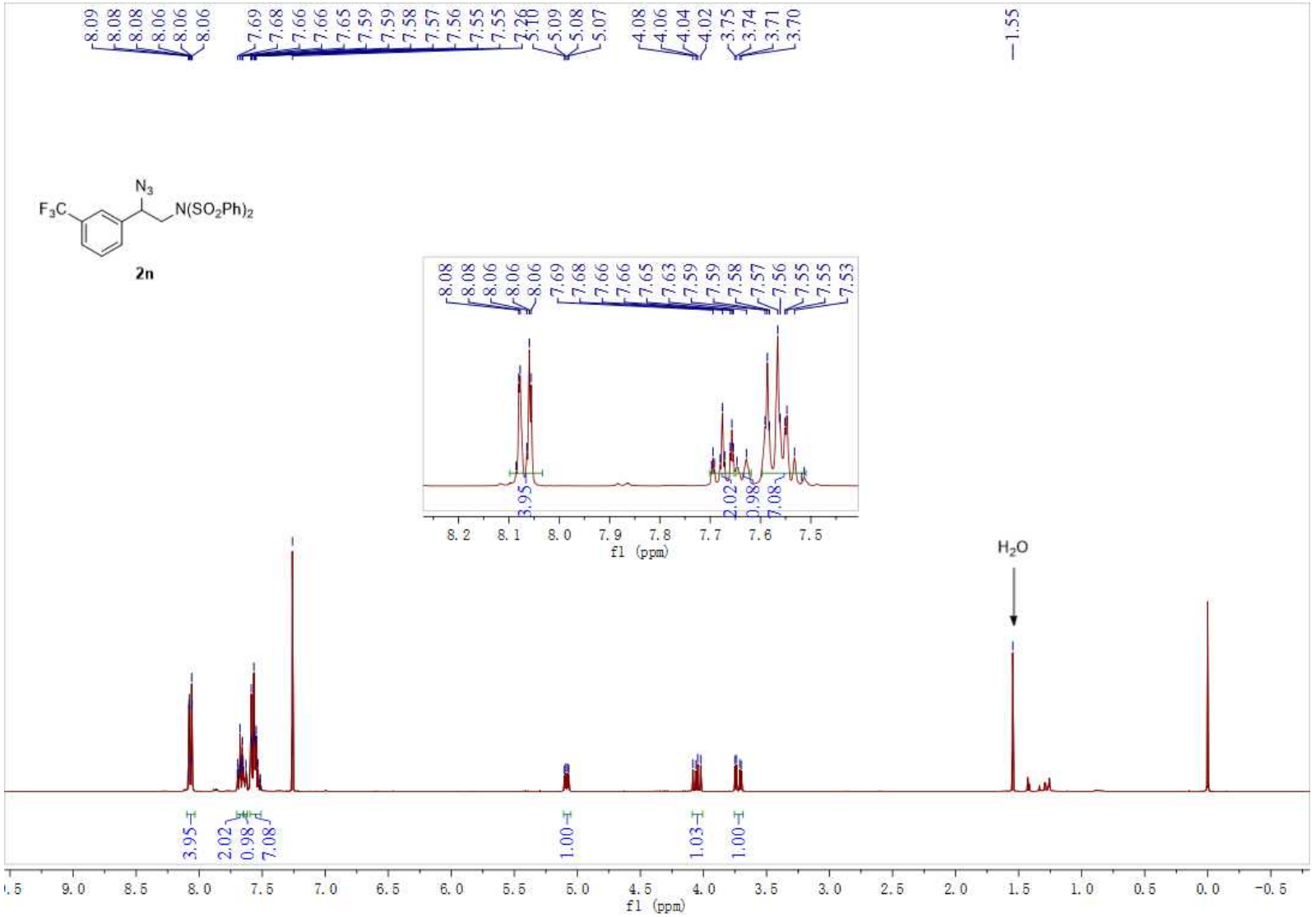
77.32
77.00
76.68
65.03
53.21
Br
N3
N(SO2Ph)2
2m
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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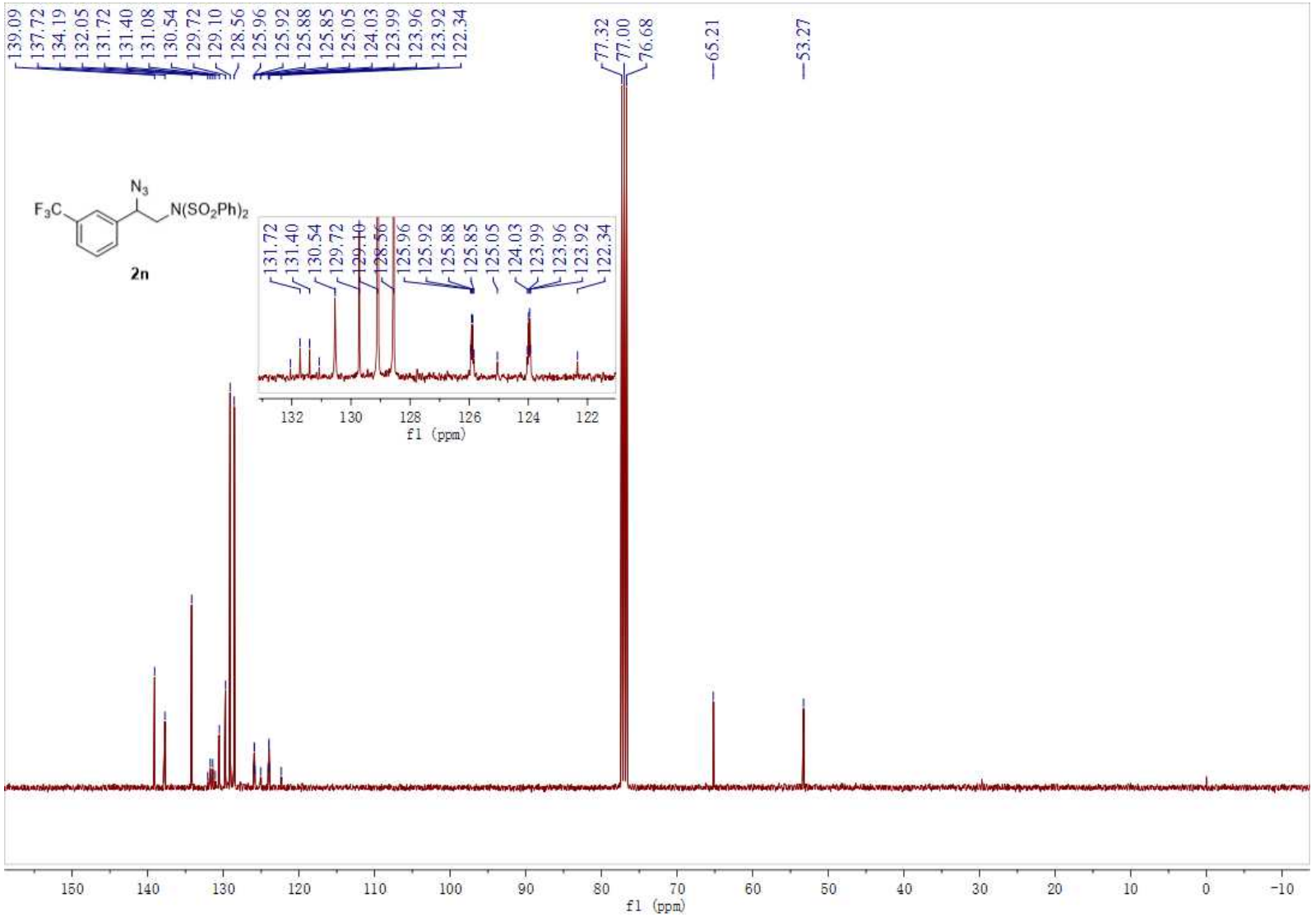
7.58
7.57
7.56
7.55
7.55
5.09
5.08
5.07
4.08
4.06
4.04
4.02
3.75
3.74
3.71
3.70
1.55
N3
F3C
N(SO2Ph)2
2n
H2O
3.95
2.02
0.98
7.08
1.00
1.03
1.00
f1 (ppm)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129.10
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125.96
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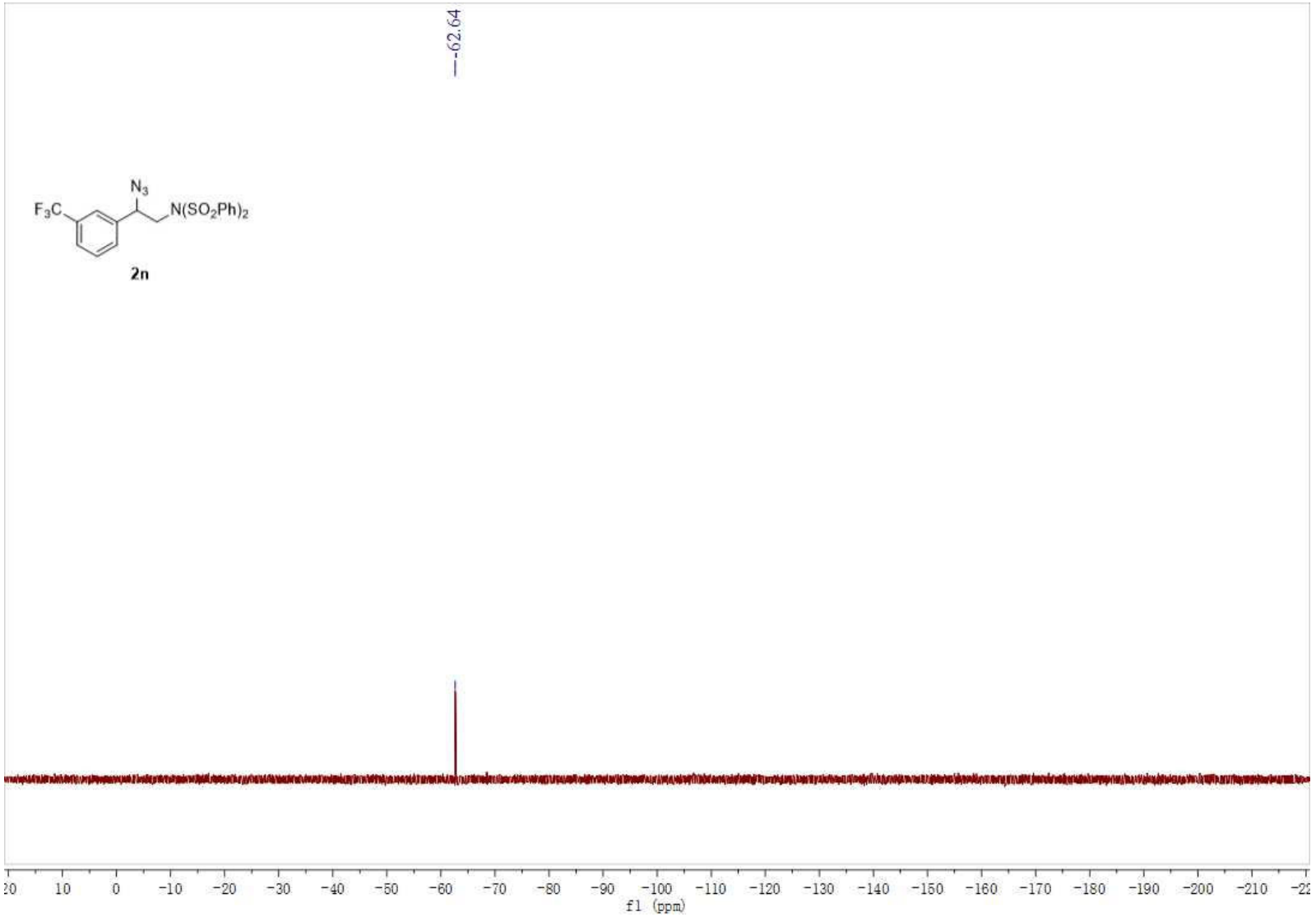
125.88
125.85
125.05
124.03
123.99
123.96
123.92
122.34
77.32
77.00
76.68
65.21
53.27
N3
F3C
N(SO2Ph)2
2n
f1 (ppm)
f1 (ppm)


-62.64
N3
F3C
N(SO2Ph)2
2n
10
0
-10
-20
-30
-40
-50
-60
-70
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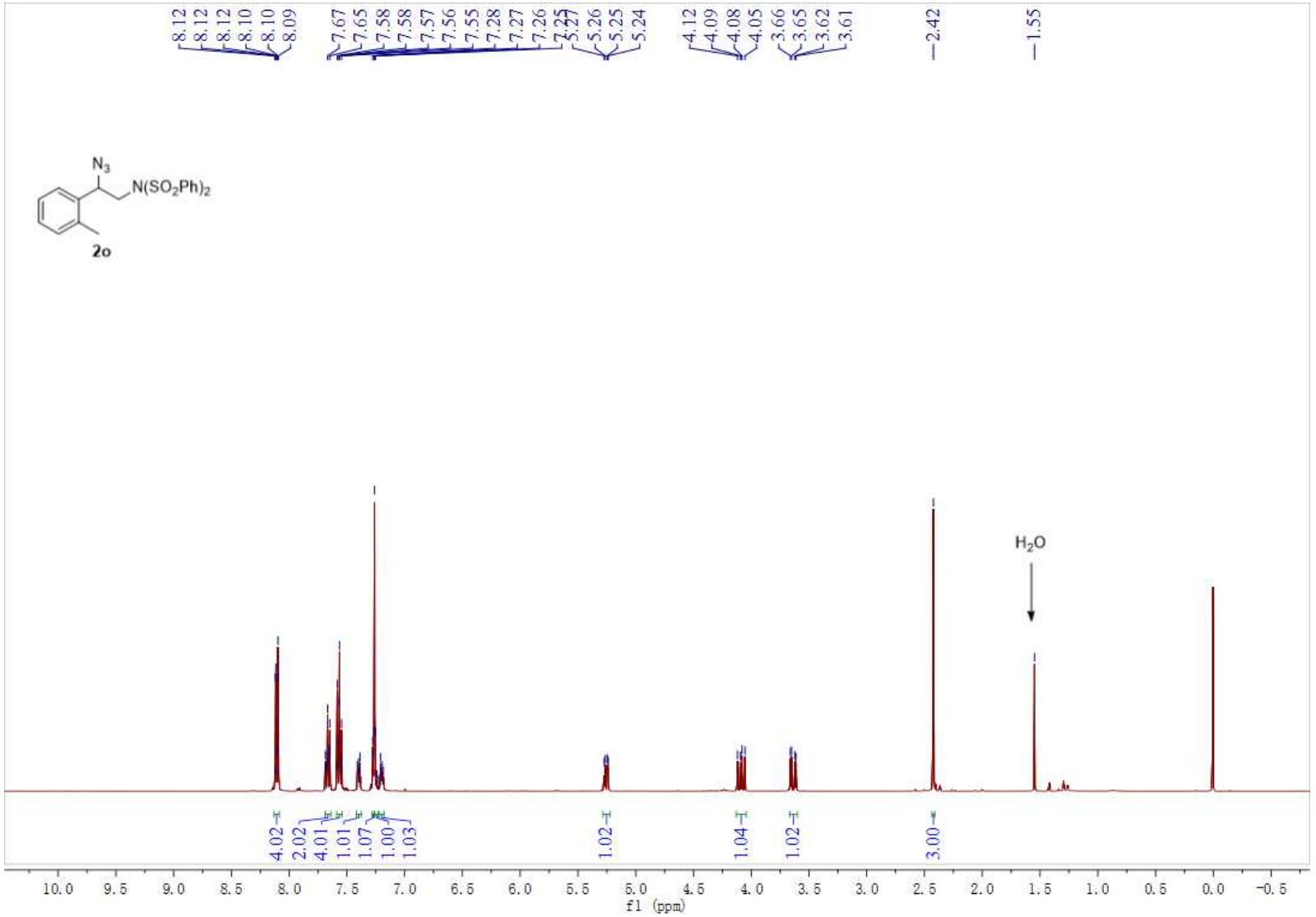
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)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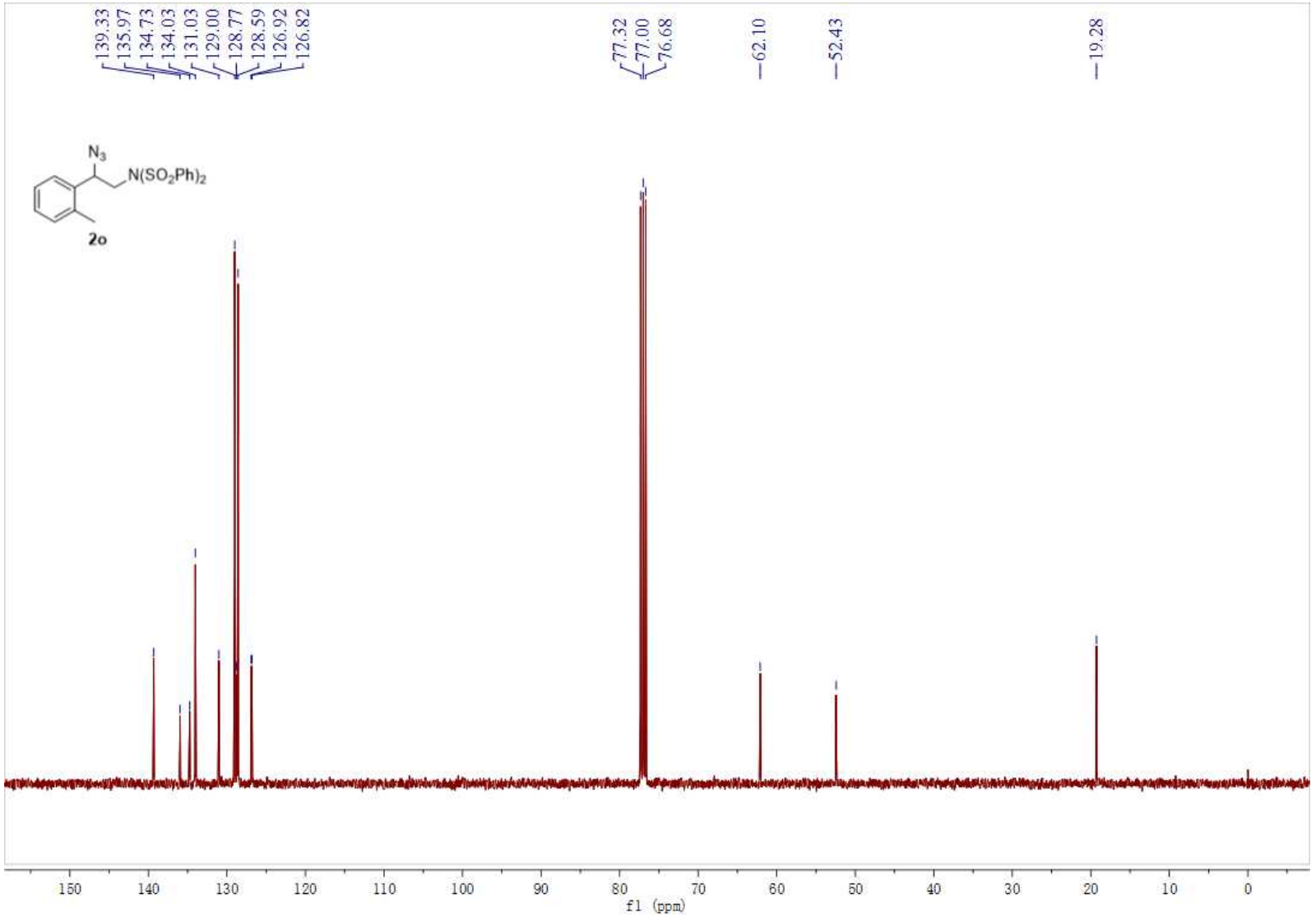
7.57
7.56
7.55
7.28
7.27
7.26
5.26
5.25
5.24
4.12
4.09
4.08
4.05
3.66
3.65
3.62
3.61
2.42
1.55
N3
N(SO2Ph)2
2o
H2O
4.02
2.02
4.01
1.01
1.07
1.00
1.03
1.02
1.04
1.02
3.00
f1 (ppm)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126.82
77.32
77.00
76.68
62.10
52.43
19.28
N3
N(SO2Ph)2
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130
120
110
100
90
80
70
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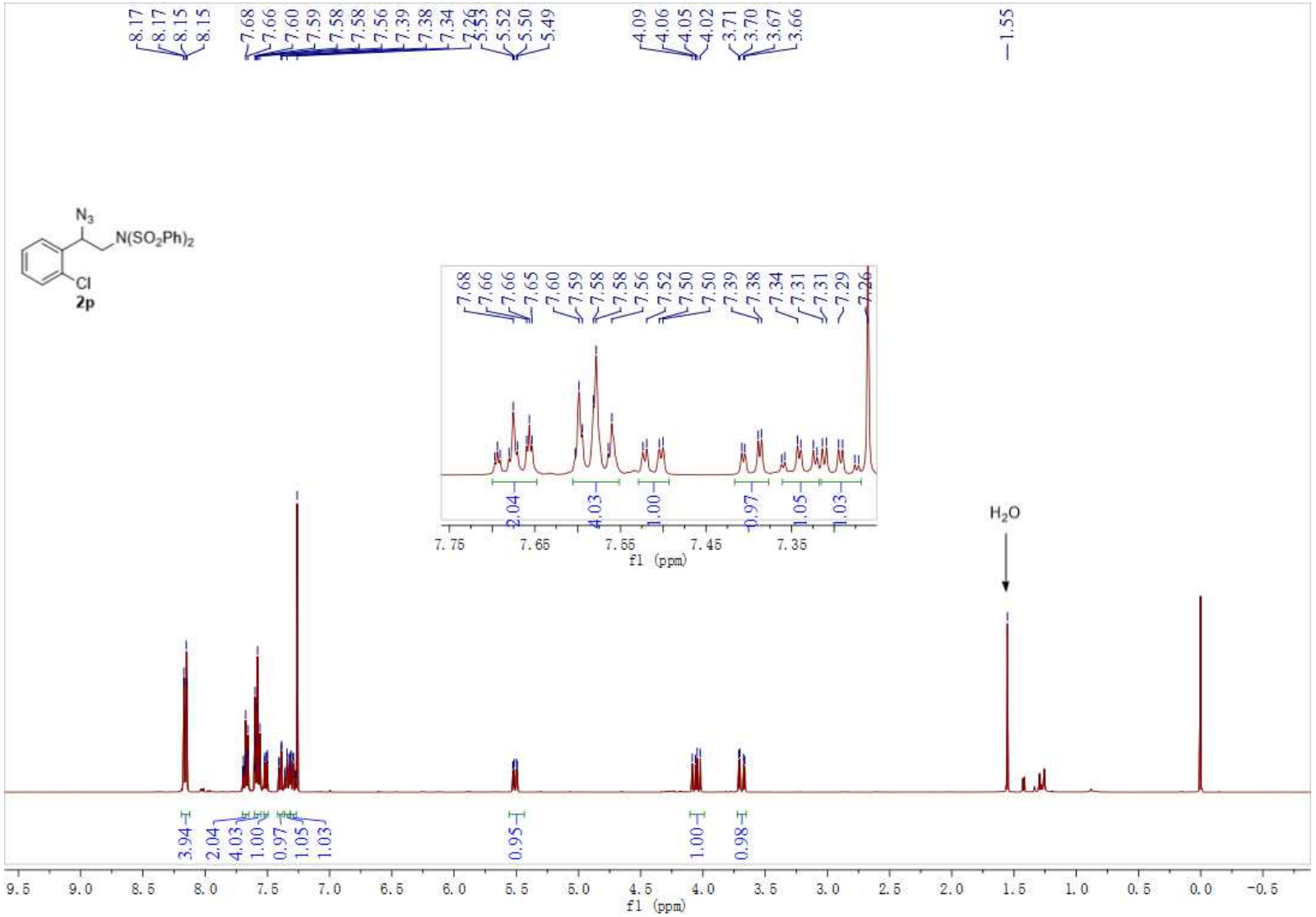
50
40
30
20
10
0
f1 (ppm)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7.38
7.34
5.52
5.50
5.49
4.09
4.06
4.05
4.02
3.71
3.70
3.67
3.66
1.55
N3
N(SO2Ph)2
Cl
2p
H2O
3.94
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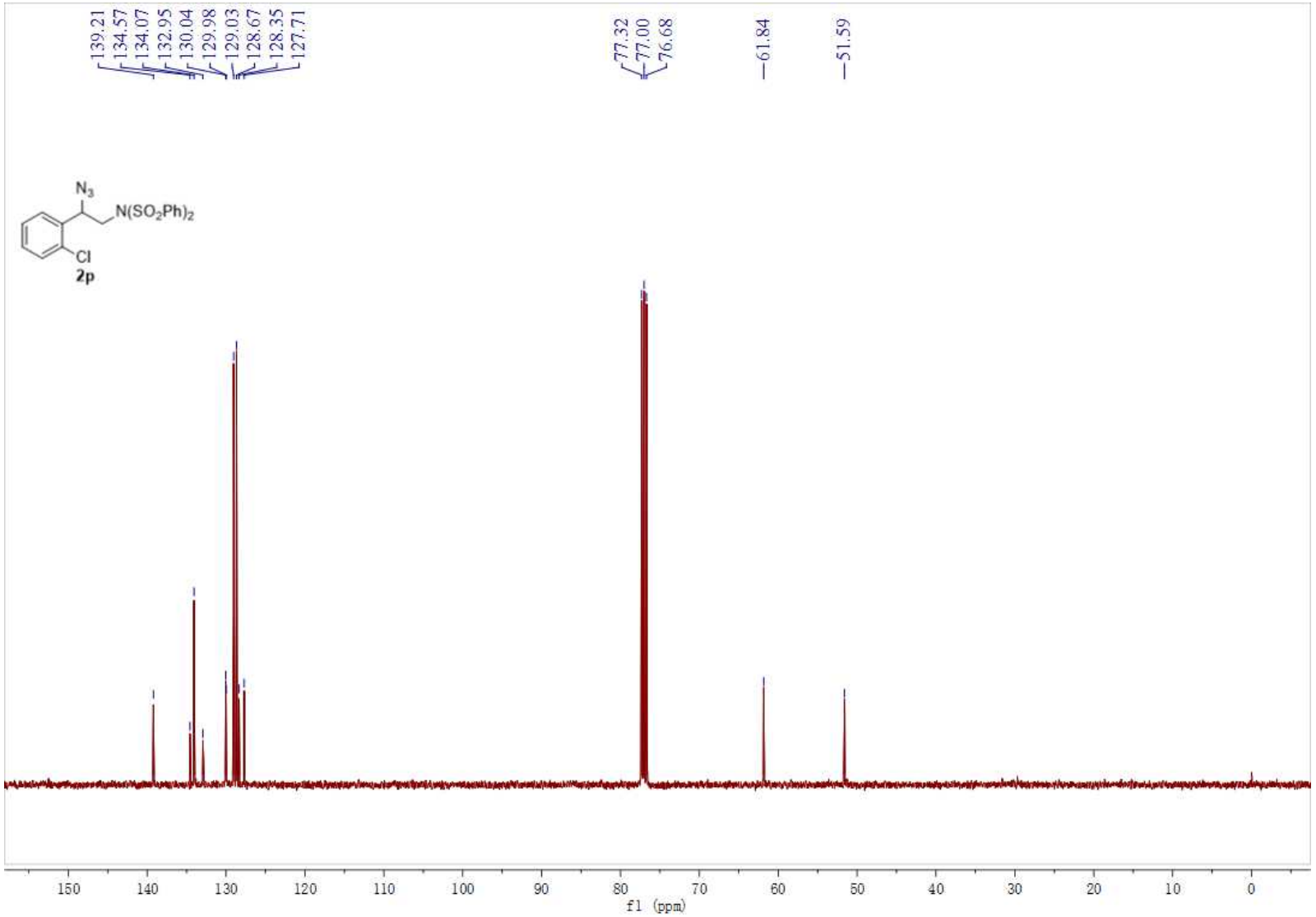
1.05
1.03
0.95
1.00
0.98
f1 (ppm)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127.71
77.32
77.00
76.68
61.84
51.59
N3
N(SO2Ph)2
Cl
2p
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130
120
110
100
90
80
70
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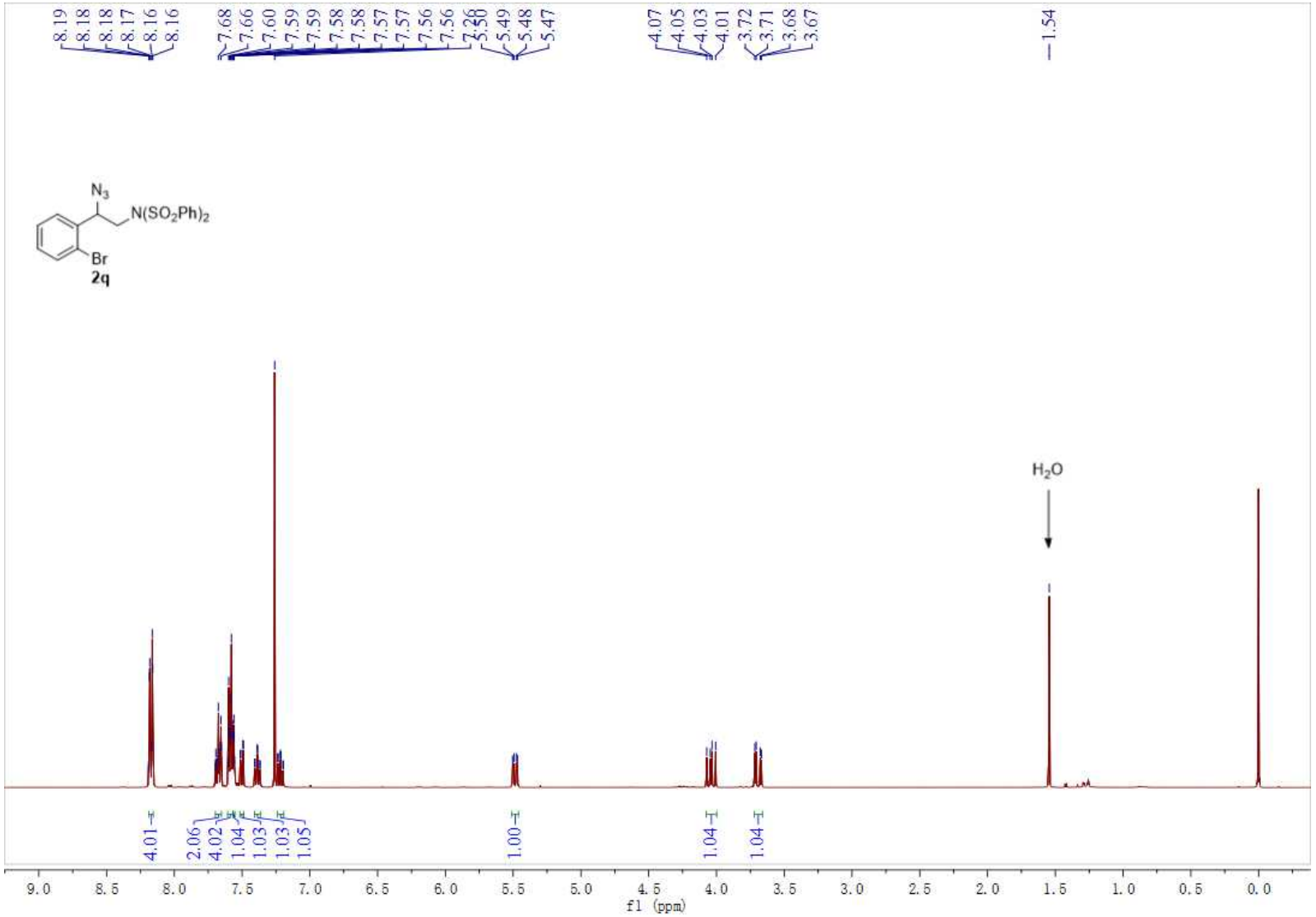
50
40
30
20
10
0
f1 (ppm)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7.56
5.49
5.48
5.47
4.07
4.05
4.03
4.01
3.72
3.71
3.68
3.67
1.54
N3
N(SO2Ph)2
Br
2q
H2O
4.01
2.06
4.02
1.04
1.03
1.03
1.05
1.00
1.04
1.04
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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2.5
2.0
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1.0
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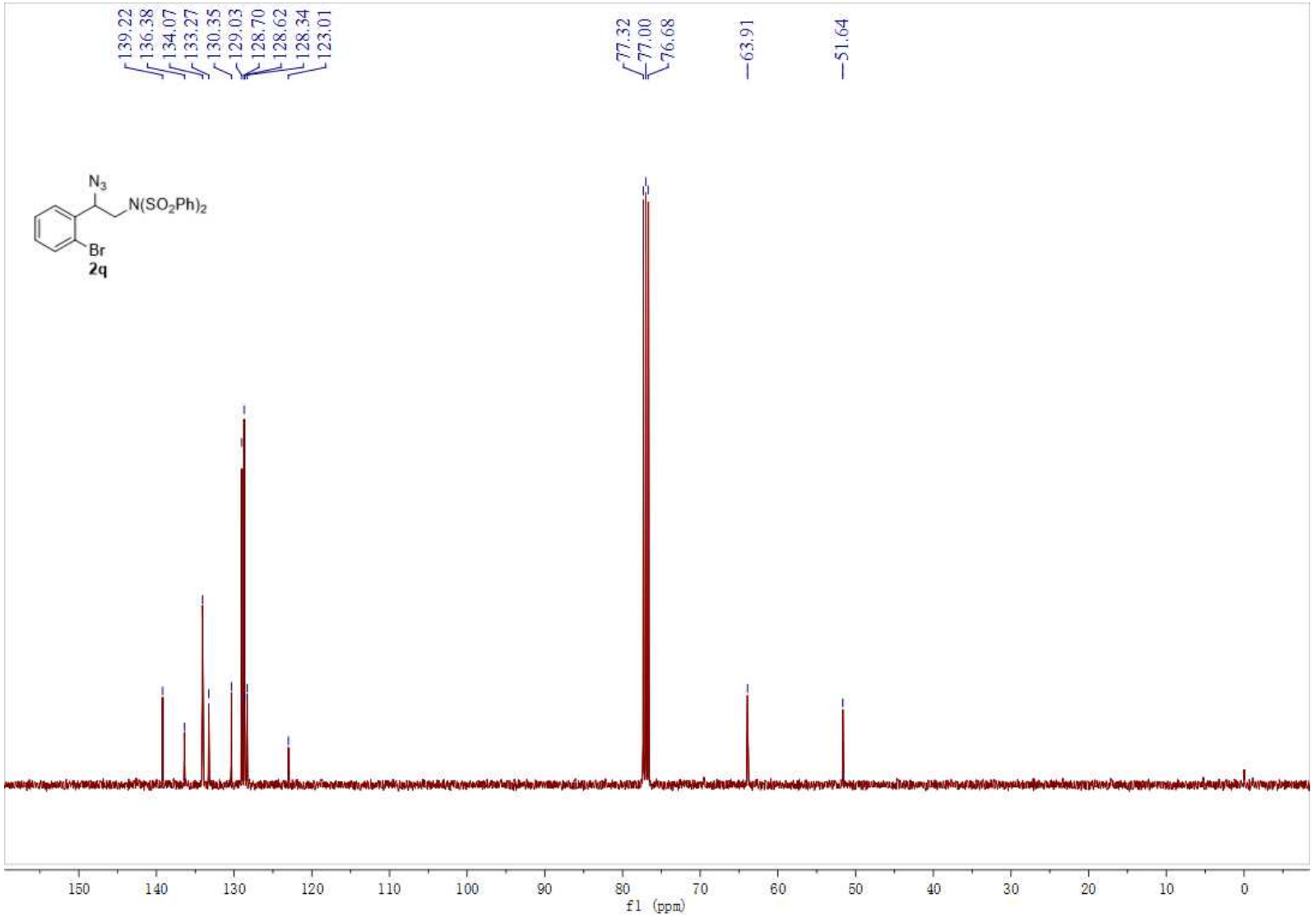
0.0
f1 (ppm)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77.00
76.68
63.91
51.64
N3
N(SO2Ph)2
Br
2q
150
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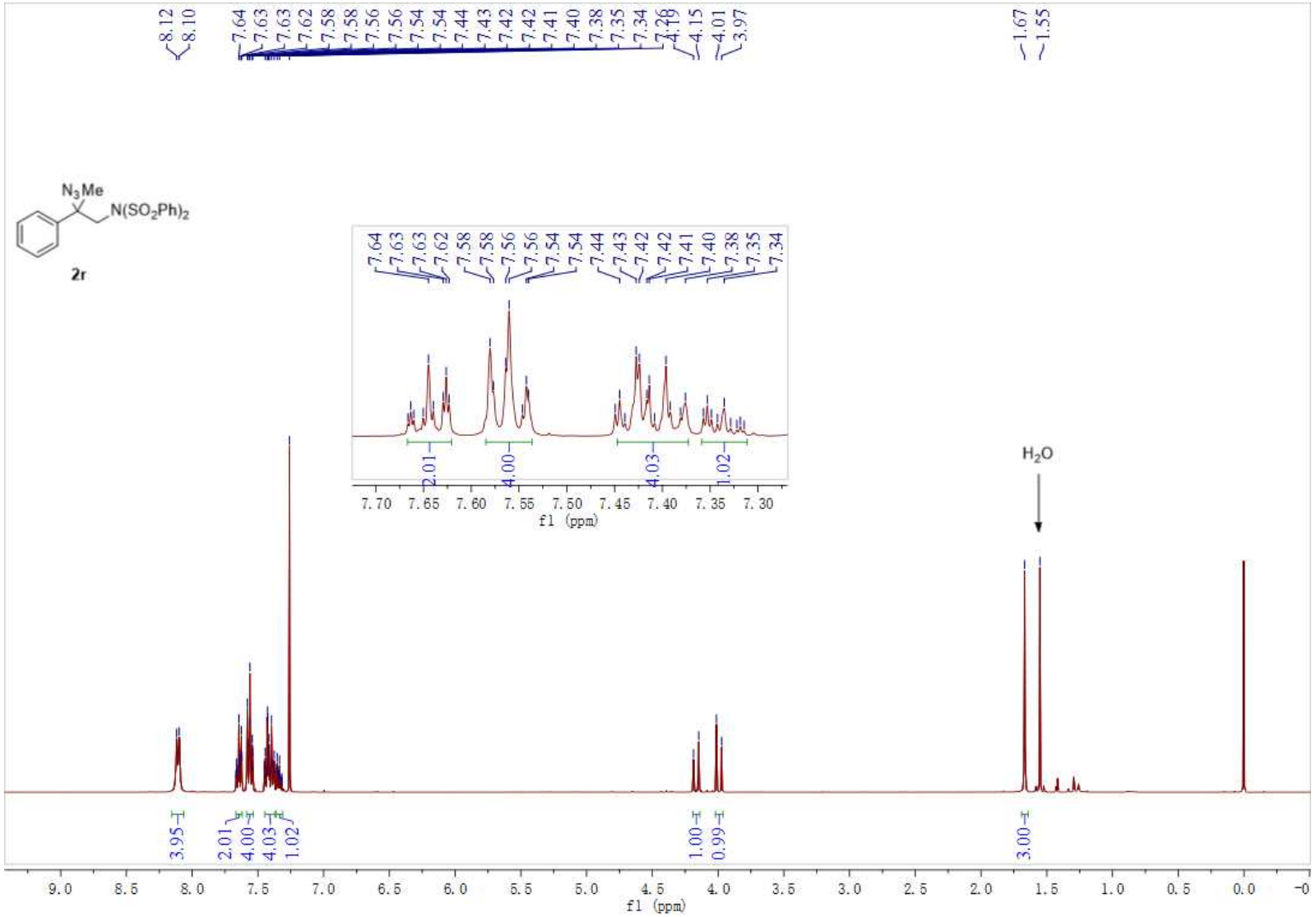
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.56
7.56
7.54
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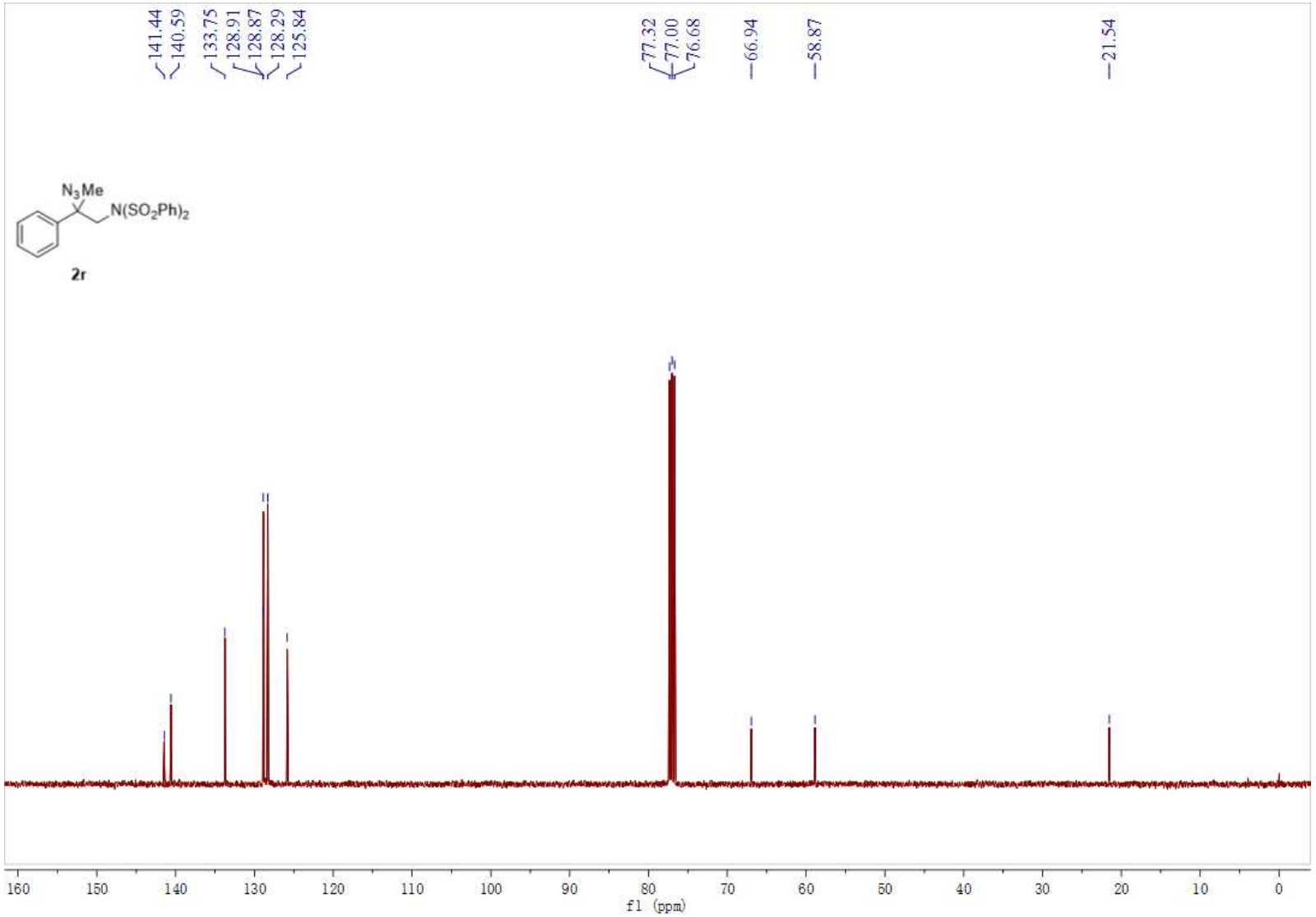
7.44
7.43
7.42
7.42
7.41
7.40
7.38
7.35
7.34
7.26
4.15
4.01
3.97
1.67
1.55
N3Me
N(SO2Ph)2
2r
H2O
2.01
4.00
4.03
1.02
1.00
0.99
3.00
3.95
f1 (ppm)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77.00
76.68
66.94
58.87
21.54
N3Me
N(SO2Ph)2
2r
160
150
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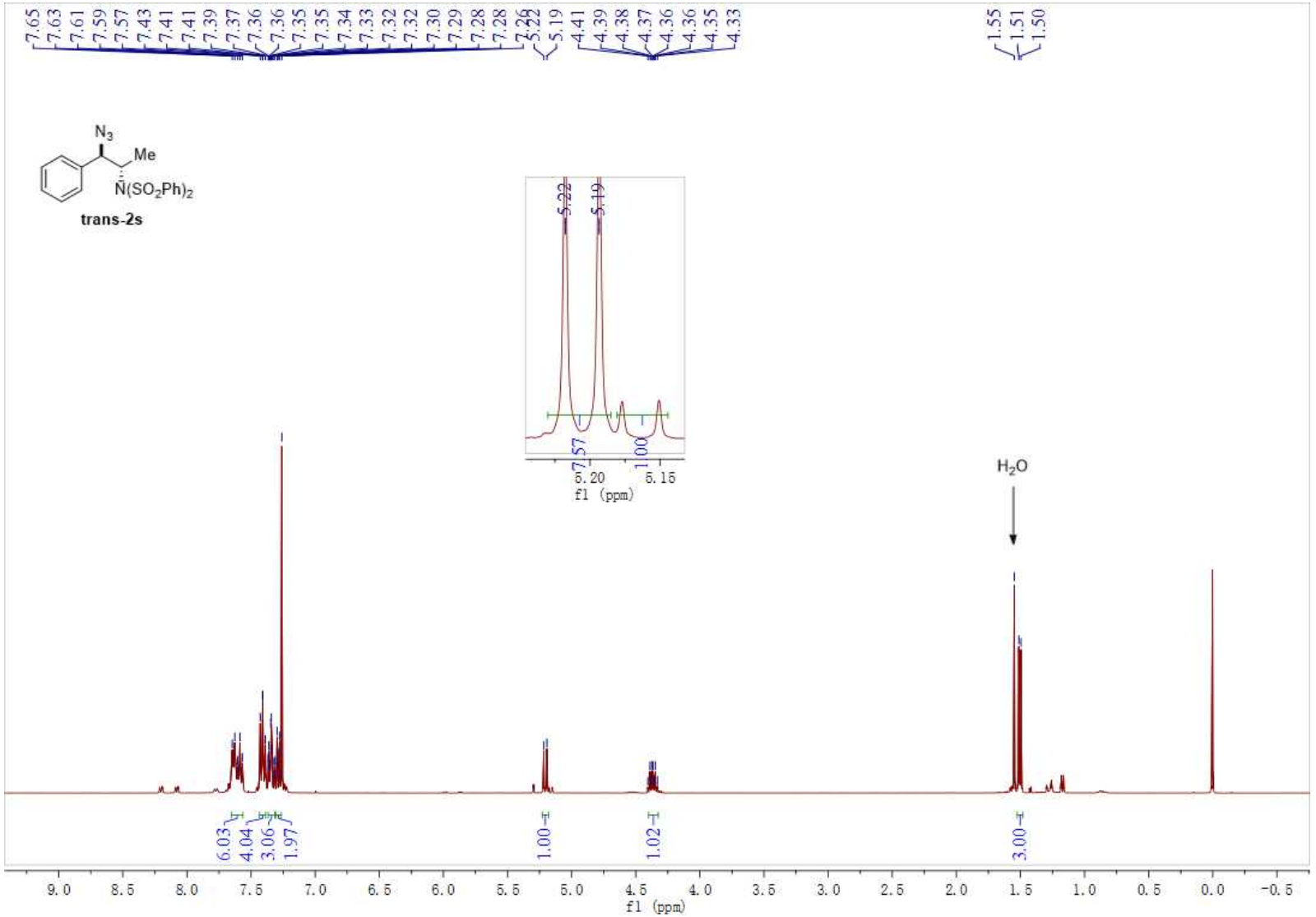
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.34
7.33
7.32
7.32
7.30
7.29
7.28
7.28
7.26
5.22
5.19
4.41
4.39
4.38
4.37
4.36
4.36
4.35
4.33
1.55
1.51
1.50
N3
Me
N(SO2Ph)2
trans-2s
5.22
5.19
7.57
1.00
5.20
5.15
f1 (ppm)
H2O
6.03
4.04
3.06
1.97
1.00
1.02
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
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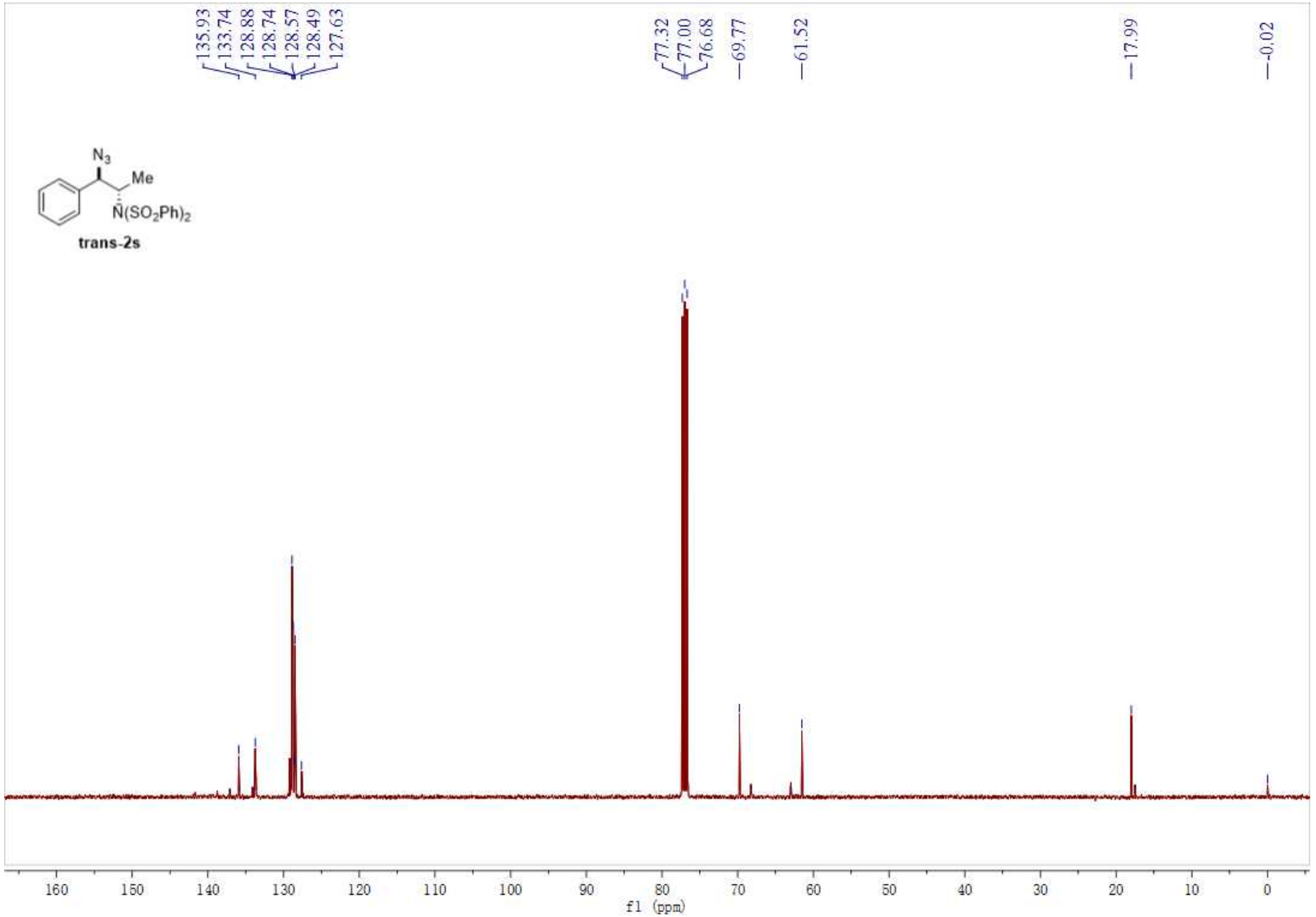
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)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69.77
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17.99
-0.02
N3
Me
N(SO2Ph)2
trans-2s
160
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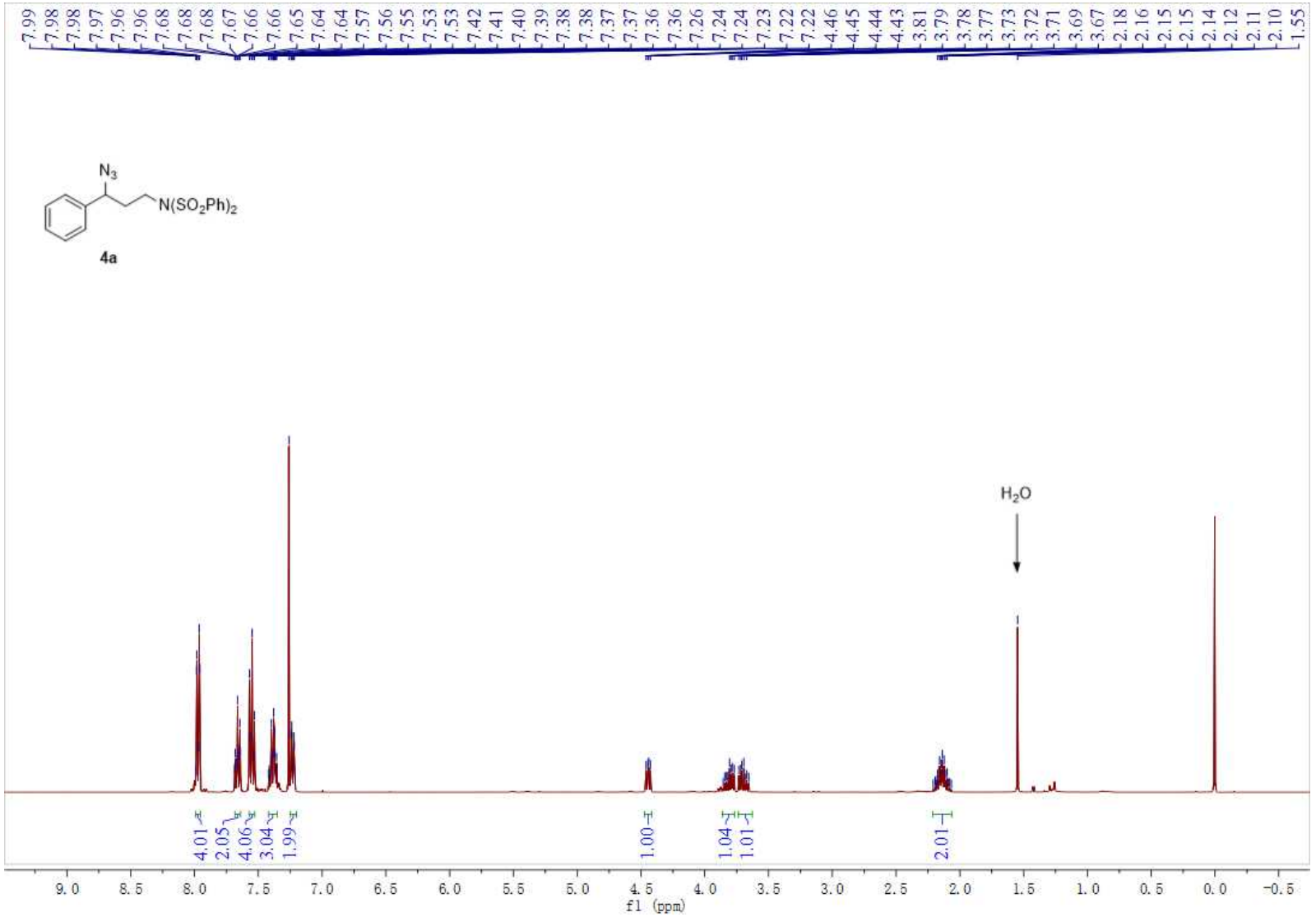
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.68
7.68
7.68
7.67
7.66
7.66
7.65
7.64
7.64
7.57
7.56
7.55
7.53
7.53
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7.41
7.40
7.39
7.38
7.38
7.37
7.37
7.36
7.36
7.26
7.24
7.24
7.23
7.22
7.22
4.46
4.45
4.44
4.43
3.81
3.79
3.78
3.77
3.73
3.72
3.71
3.69
3.67
2.18
2.16
2.15
2.15
2.14
2.12
2.11
2.10
1.55
N3
N(SO2Ph)2
4a
H2O
4.01
2.05
4.06
3.04
1.99
1.00
1.04
1.01
2.01
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
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3.0
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2.0
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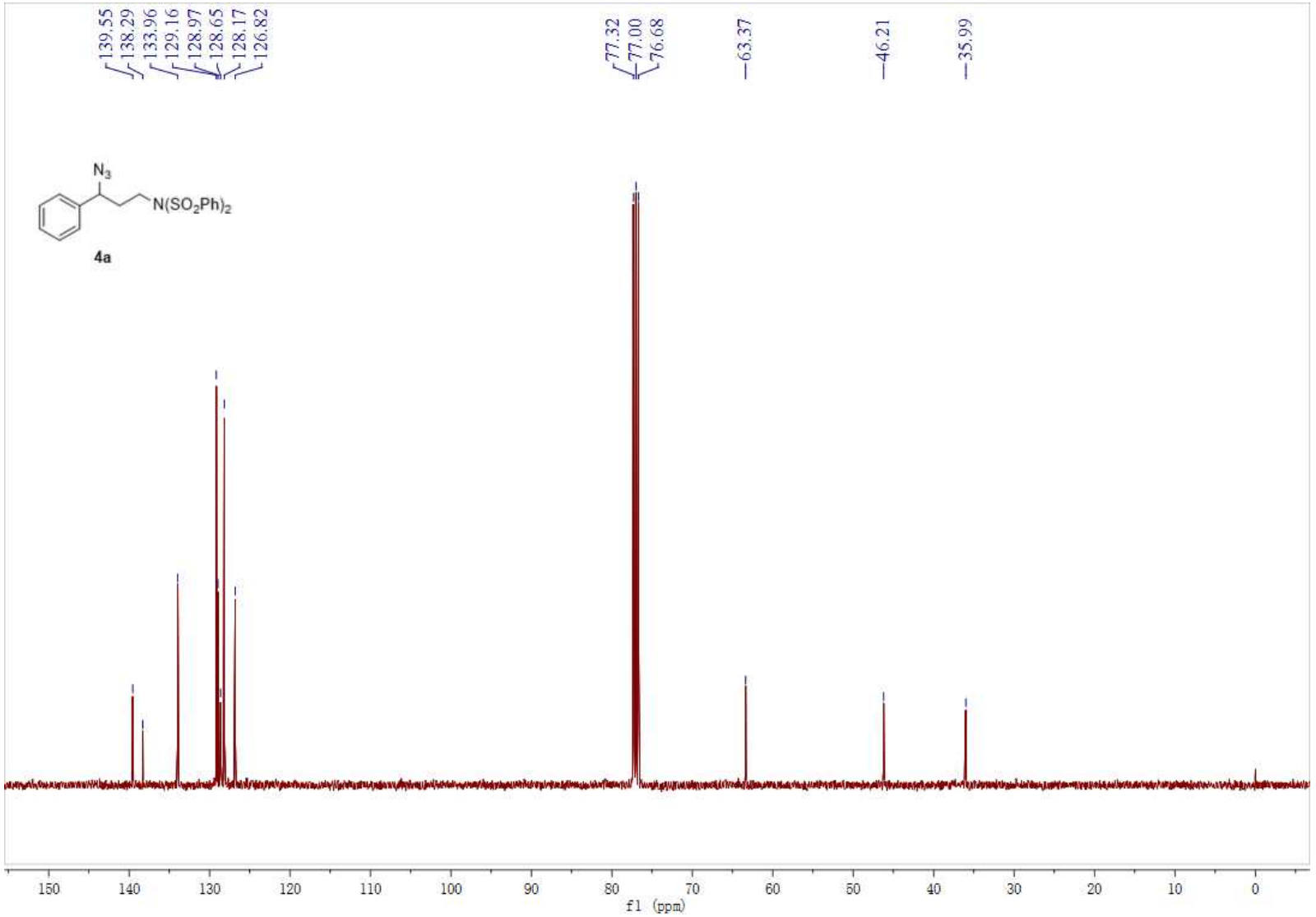
f1 (ppm)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77.00
76.68
63.37
46.21
35.99
N3
N(SO2Ph)2
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120
110
100
90
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70
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50
40
30
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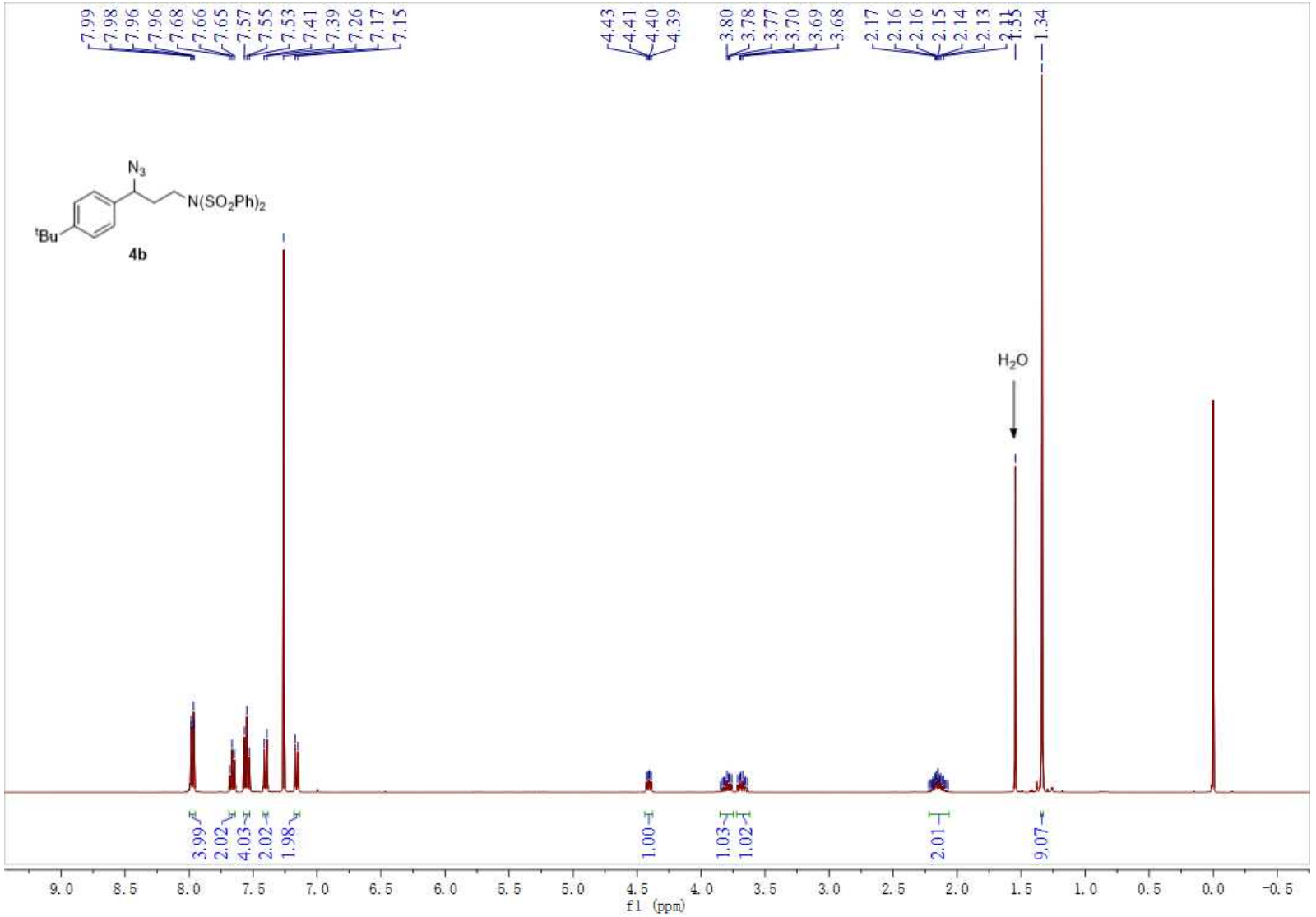
10
0
f1 (ppm)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7.26
7.17
7.15
4.43
4.41
4.40
4.39
3.80
3.78
3.77
3.70
3.69
3.68
2.17
2.16
2.16
2.15
2.14
2.13
1.34
N3
N(SO2Ph)2
tBu
4b
H2O
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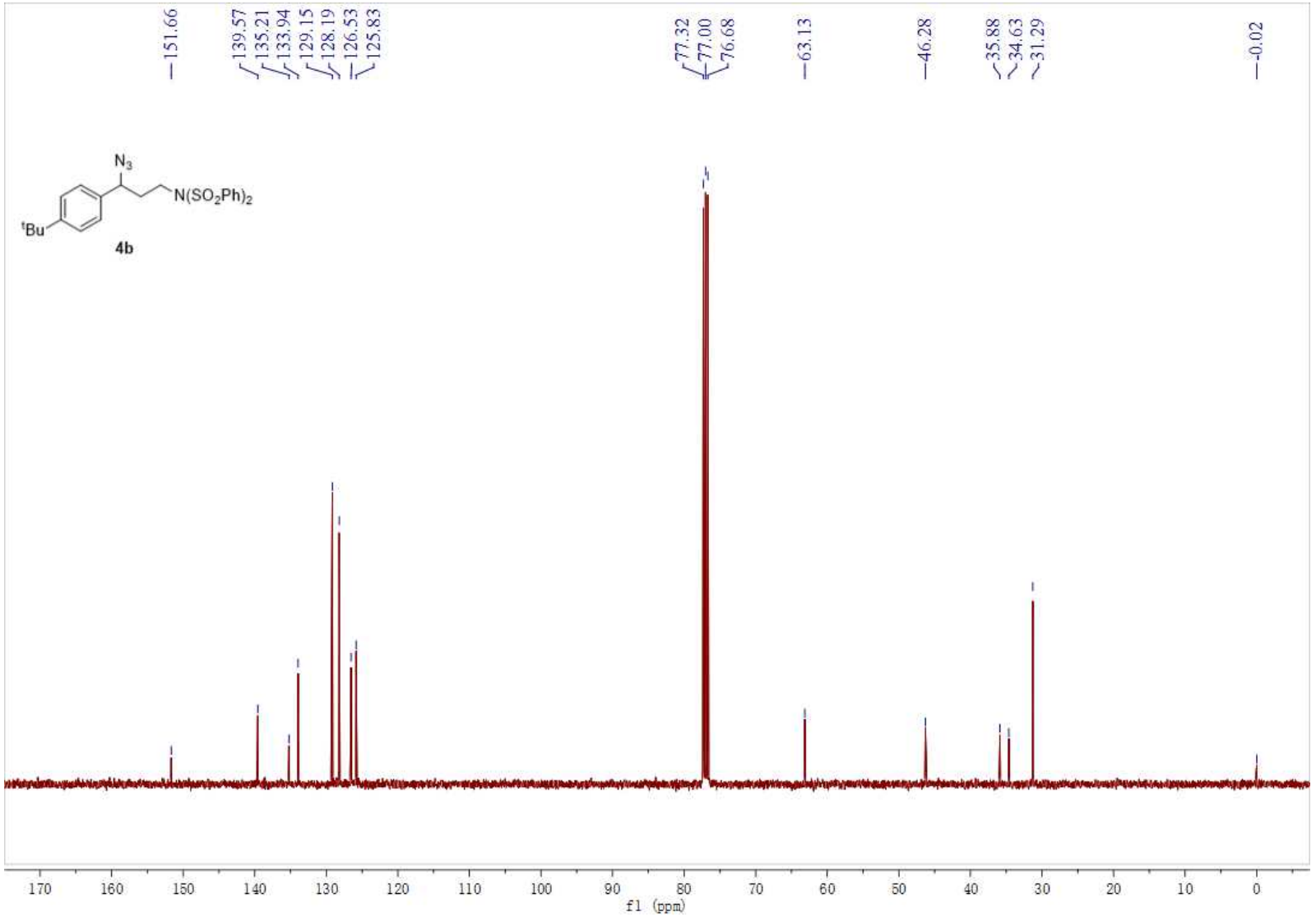
4.03
2.02
1.98
1.00
1.03
1.02
2.01
9.07
f1 (ppm)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125.83
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77.00
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63.13
46.28
35.88
34.63
31.29
0.02
N3
N(SO2Ph)2
tBu
4b
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130
120
110
100
90
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50
40
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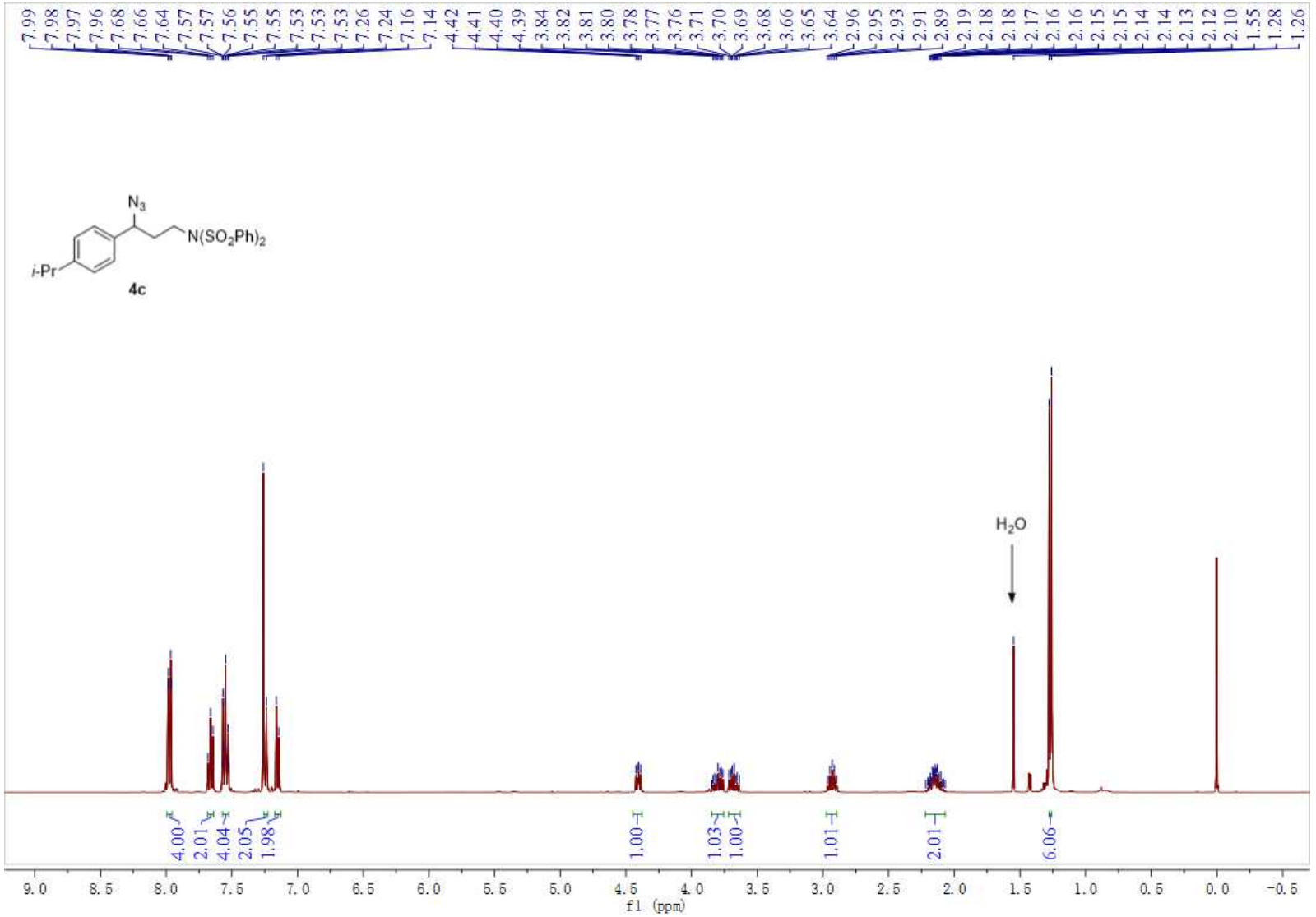
0
f1 (ppm)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7.53
7.26
7.24
7.16
7.14
4.42
4.41
4.40
4.39
3.84
3.82
3.81
3.80
3.78
3.77
3.76
3.71
3.70
3.69
3.68
3.66
3.65
3.64
2.96
2.95
2.93
2.91
2.89
2.19
2.18
2.18
2.17
2.16
2.16
2.15
2.15
2.14
2.14
2.13
2.12
2.10
1.55
1.28
1.26
N3
N(SO2Ph)2
i-Pr
4c
H2O
4.00
2.01
4.04
2.05
1.98
1.00
1.03
1.00
1.01
2.01
6.06
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8.5
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7.5
7.0
6.5
6.0
5.5
5.0
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2.0
1.5
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0.5
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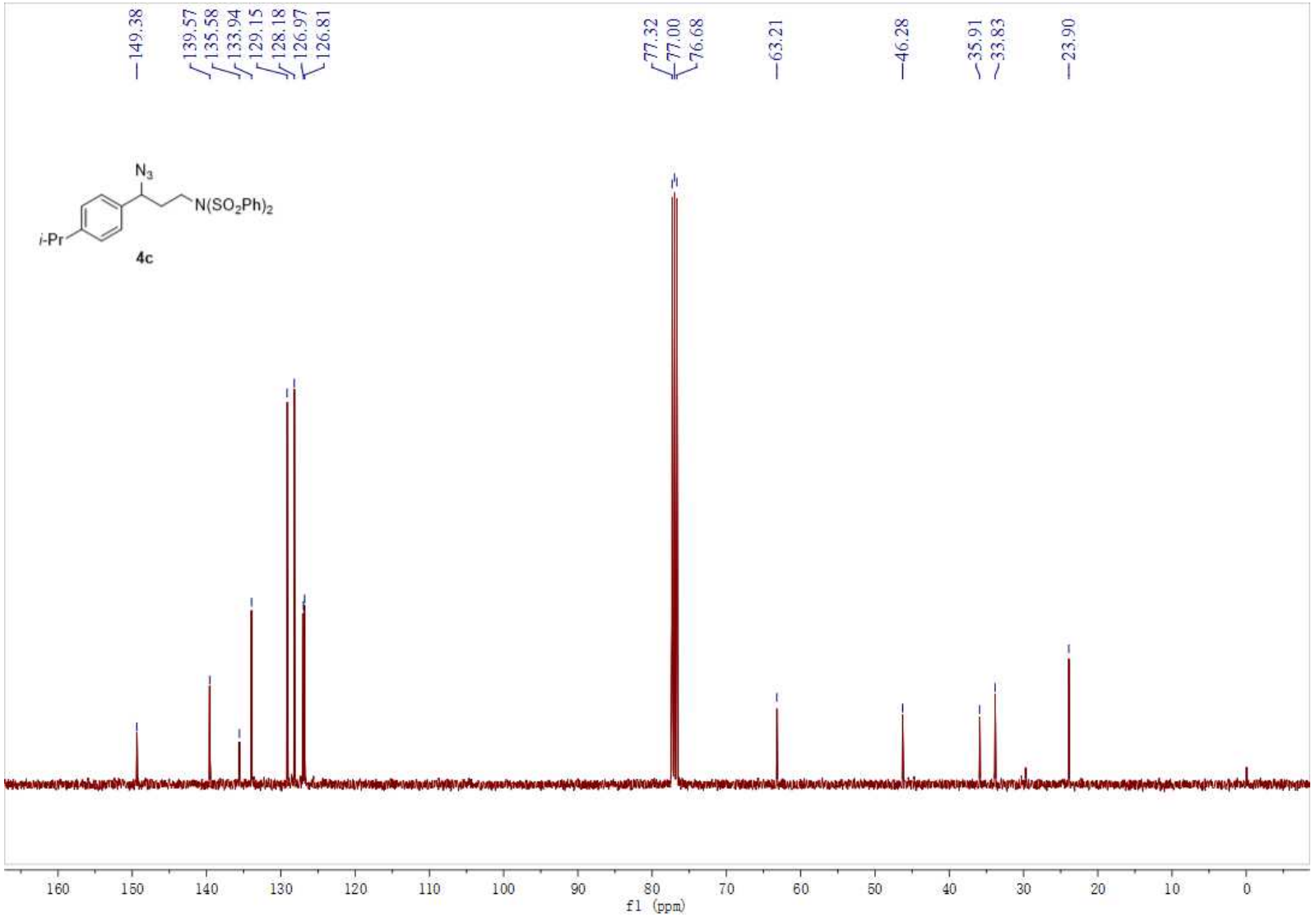
-0.5
f1 (ppm)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126.81
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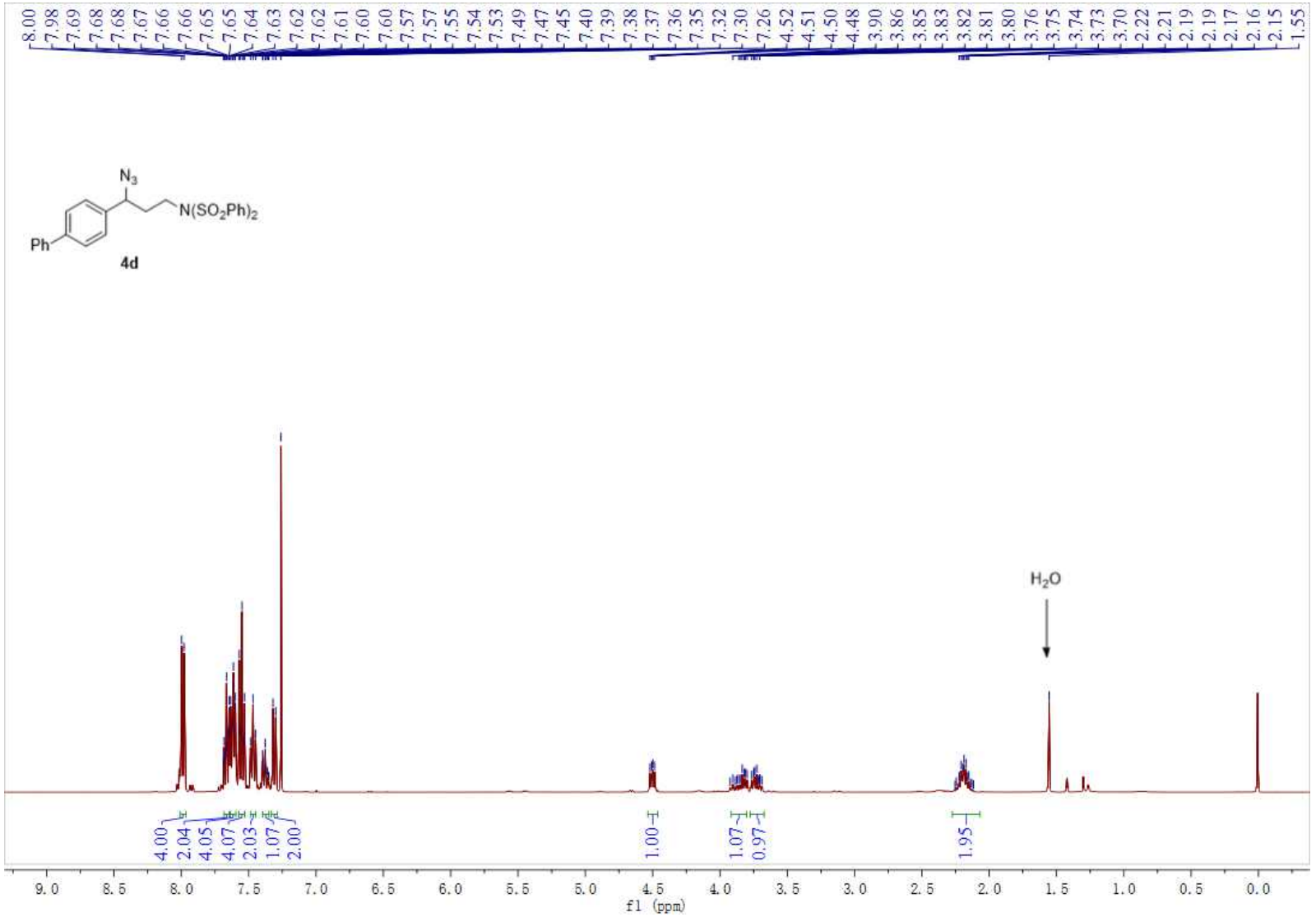
77.00
76.68
63.21
46.28
35.91
33.83
23.90
N3
N(SO2Ph)2
i-Pr
4c
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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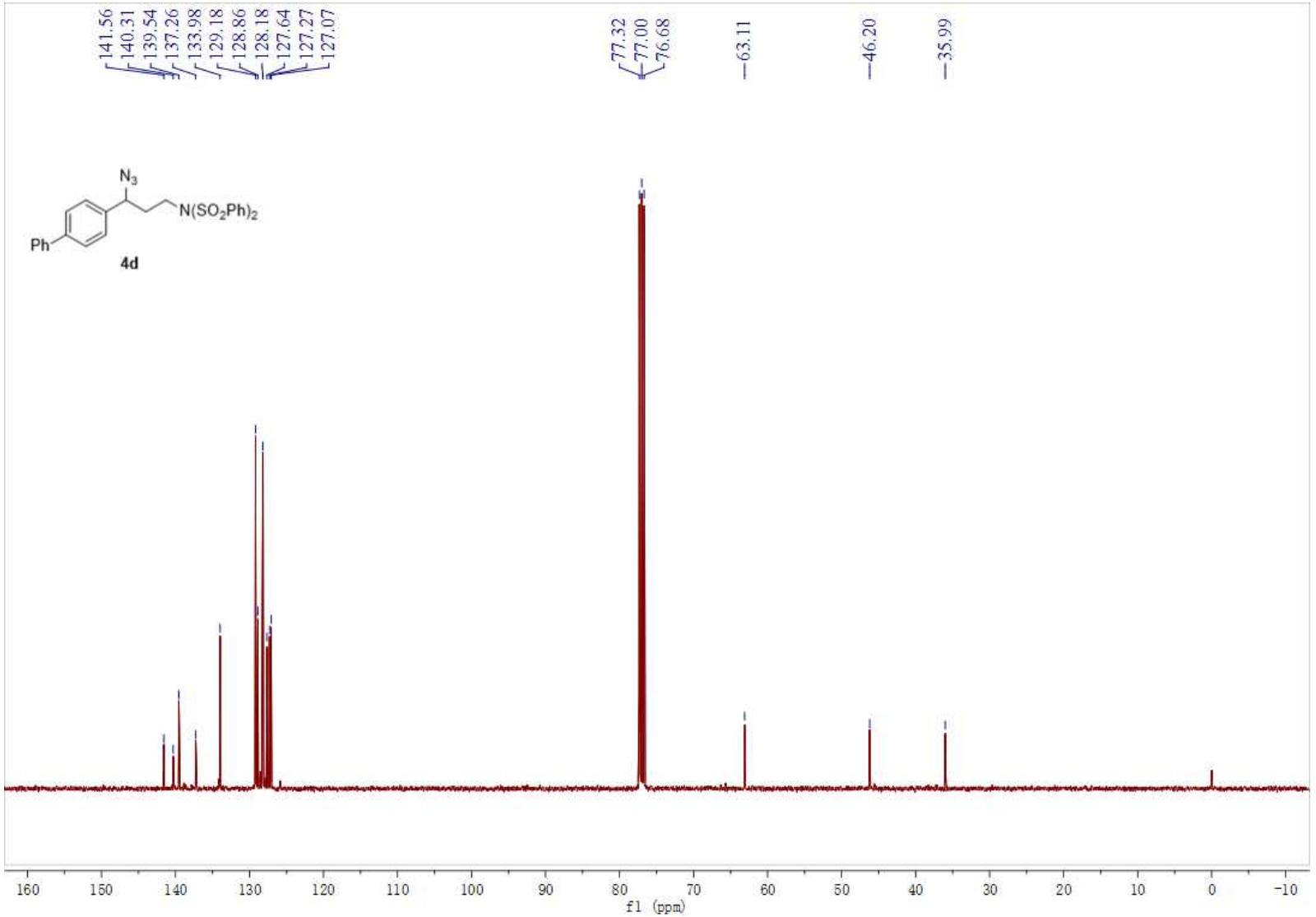
N3
N(SO2Ph)2
Ph
4d
H2O
4.00 2.04 4.05 4.07 2.03 1.07 2.00 1.00 1.07 0.97 1.95
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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139.54
137.26
133.98
129.18
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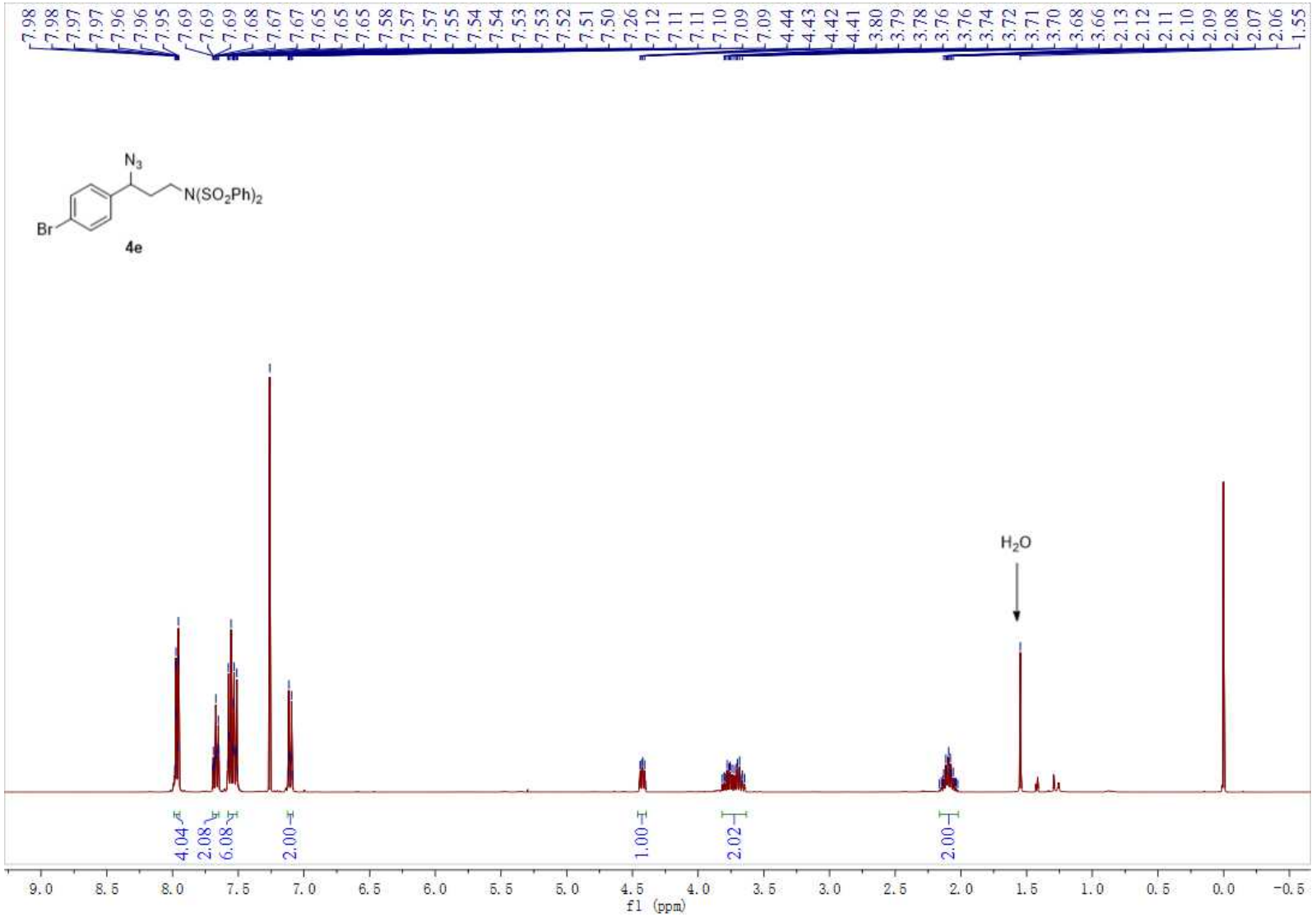
128.18
127.64
127.27
127.07
77.32
77.00
76.68
63.11
46.20
35.99
N3
N(SO2Ph)2
Ph
4d
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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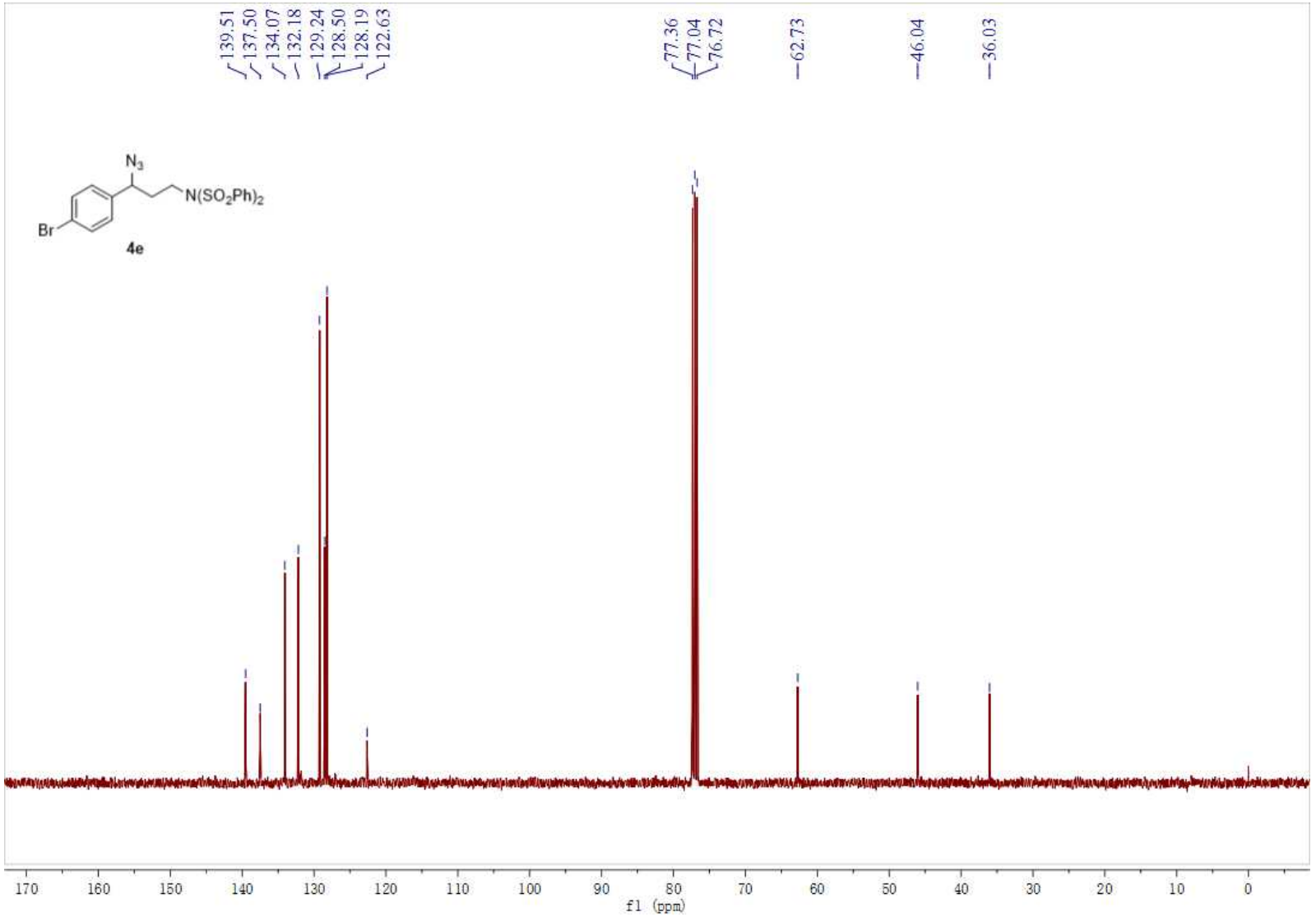
4.42
4.41
3.80
3.79
3.78
3.76
3.76
3.74
3.72
3.71
3.70
3.68
3.66
2.13
2.12
2.11
2.10
2.09
2.08
2.07
2.06
1.55
N3
N(SO2Ph)2
Br
4e
H2O
4.04
2.08
6.08
2.00
1.00
2.02
2.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)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76.72
62.73
46.04
36.03
N3
N(SO2Ph)2
Br
4e
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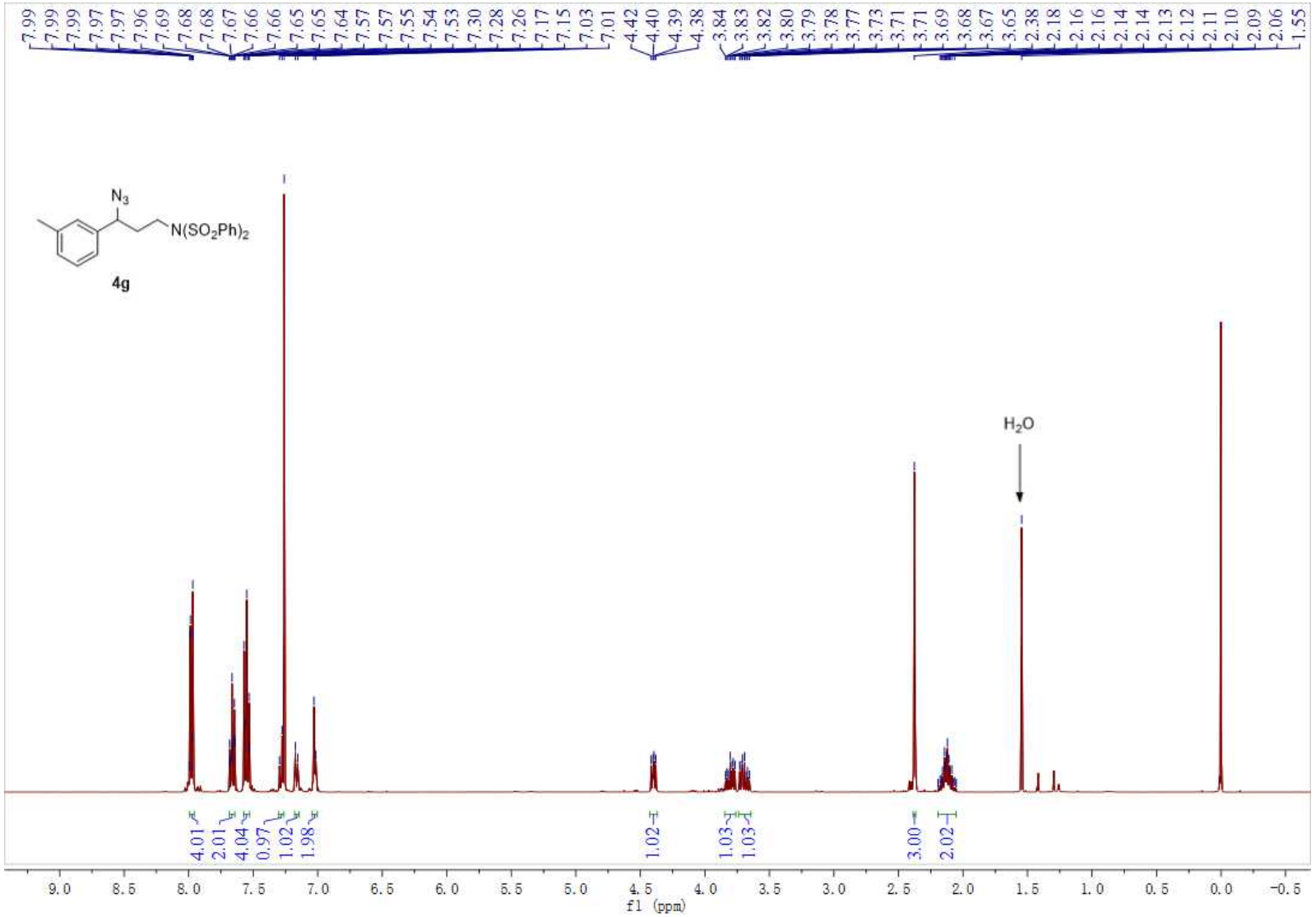
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.65
7.64
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7.55
7.54
7.53
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7.26
7.17
7.15
7.03
7.01
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4.38
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3.80
3.79
3.78
3.77
3.73
3.71
3.71
3.69
3.68
3.67
3.65
2.38
2.18
2.16
2.16
2.14
2.14
2.13
2.12
2.11
2.10
2.09
2.06
1.55
N3
N(SO2Ph)2
4g
H2O
4.01
2.01
4.04
0.97
1.02
1.98
1.02
1.03
1.03
3.00
2.02
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
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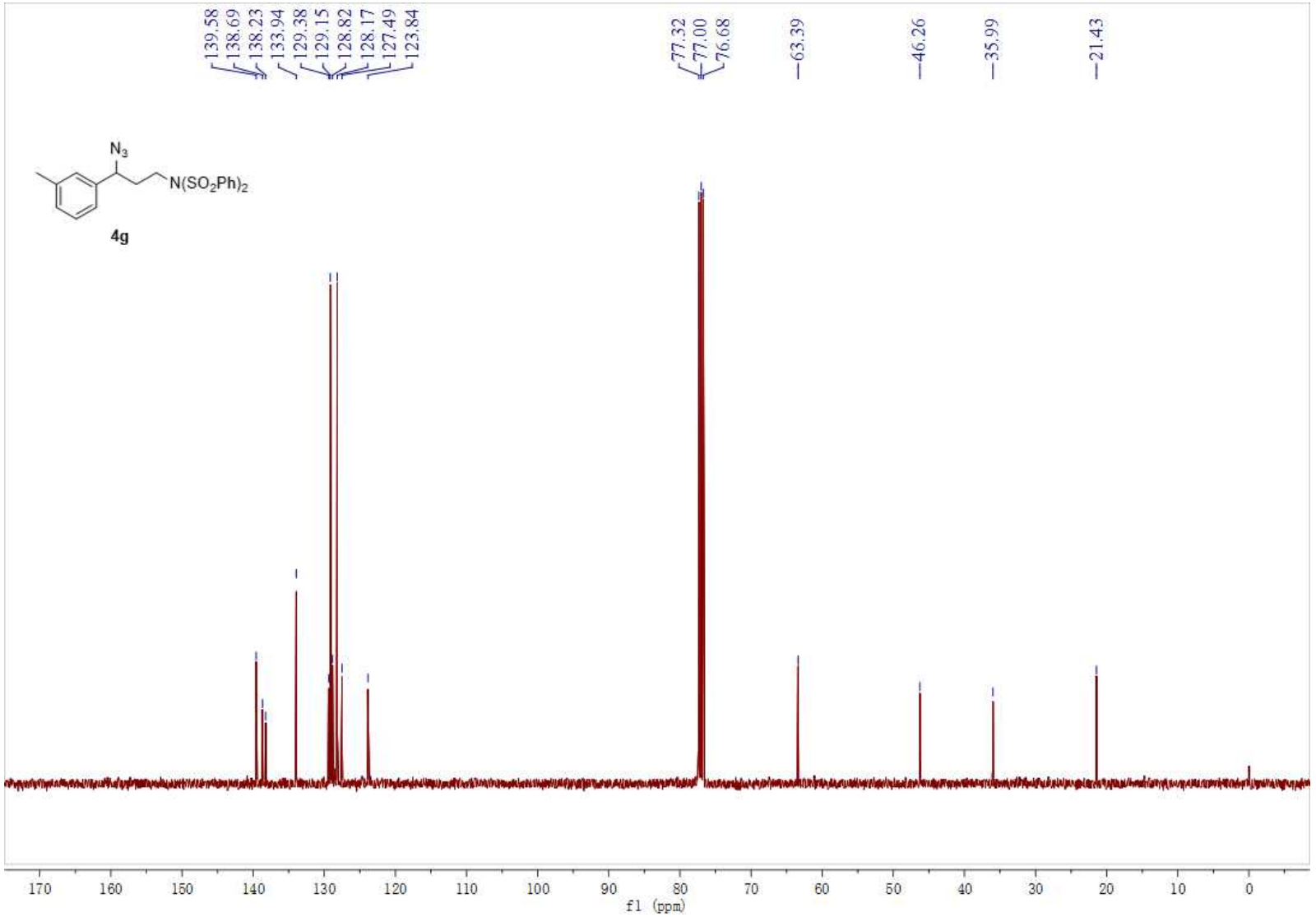
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)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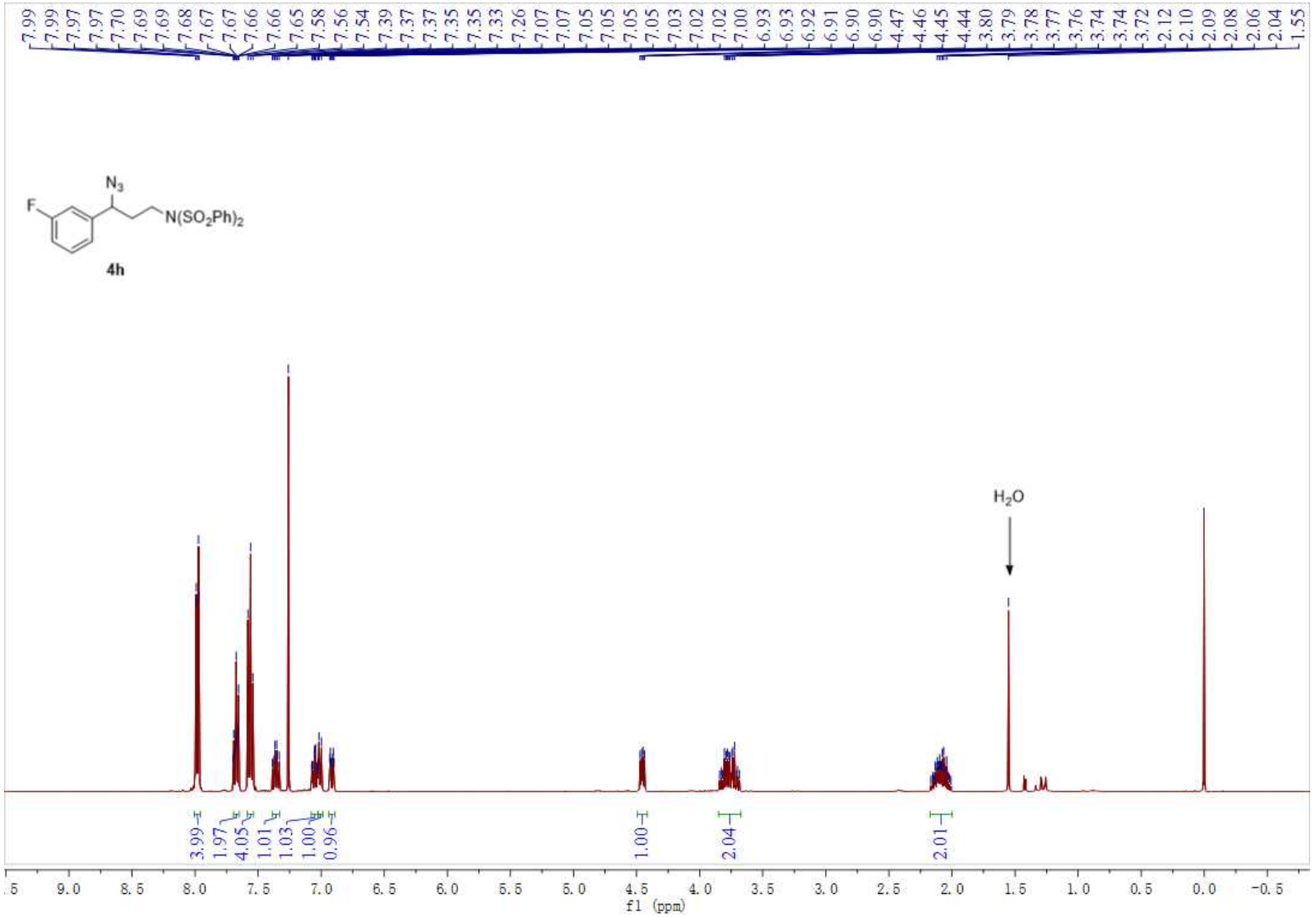
123.84
77.32
77.00
76.68
63.39
46.26
35.99
21.43
N3
N(SO2Ph)2
4g
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.65
7.58
7.56
7.54
7.39
7.37
7.37
7.35
7.35
7.33
7.26
7.07
7.07
7.05
7.05
7.05
7.05
7.03
7.02
7.02
7.00
6.93
6.93
6.92
6.91
6.90
6.90
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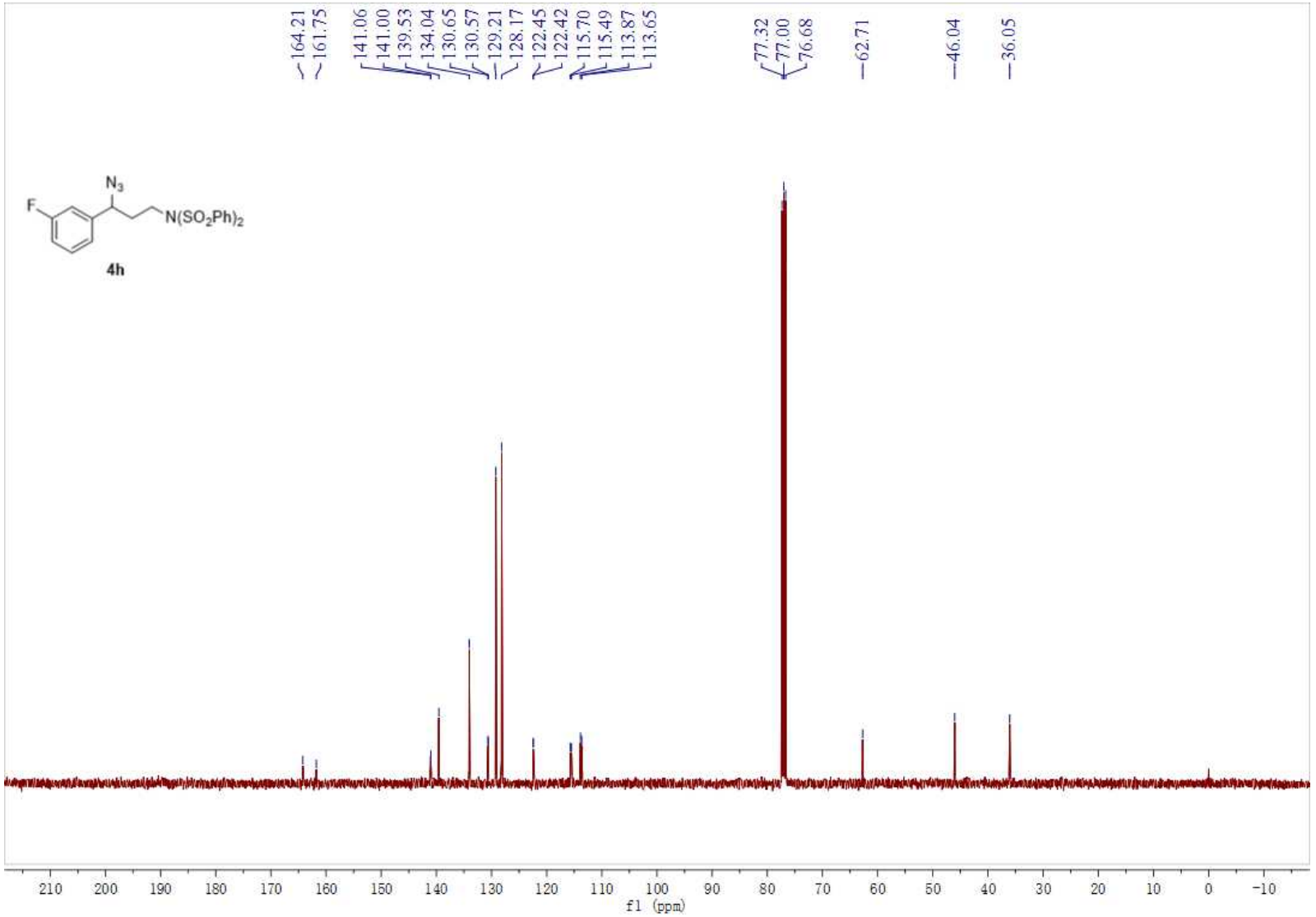
4.46
4.45
4.44
3.80
3.79
3.78
3.77
3.76
3.74
3.74
3.72
2.12
2.10
2.09
2.08
2.06
2.04
1.55
N3
F
N(SO2Ph)2
4h
H2O
3.99
1.97
4.05
1.01
1.03
1.00
0.96
1.00
2.04
2.01
f1 (ppm)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161.75
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141.00
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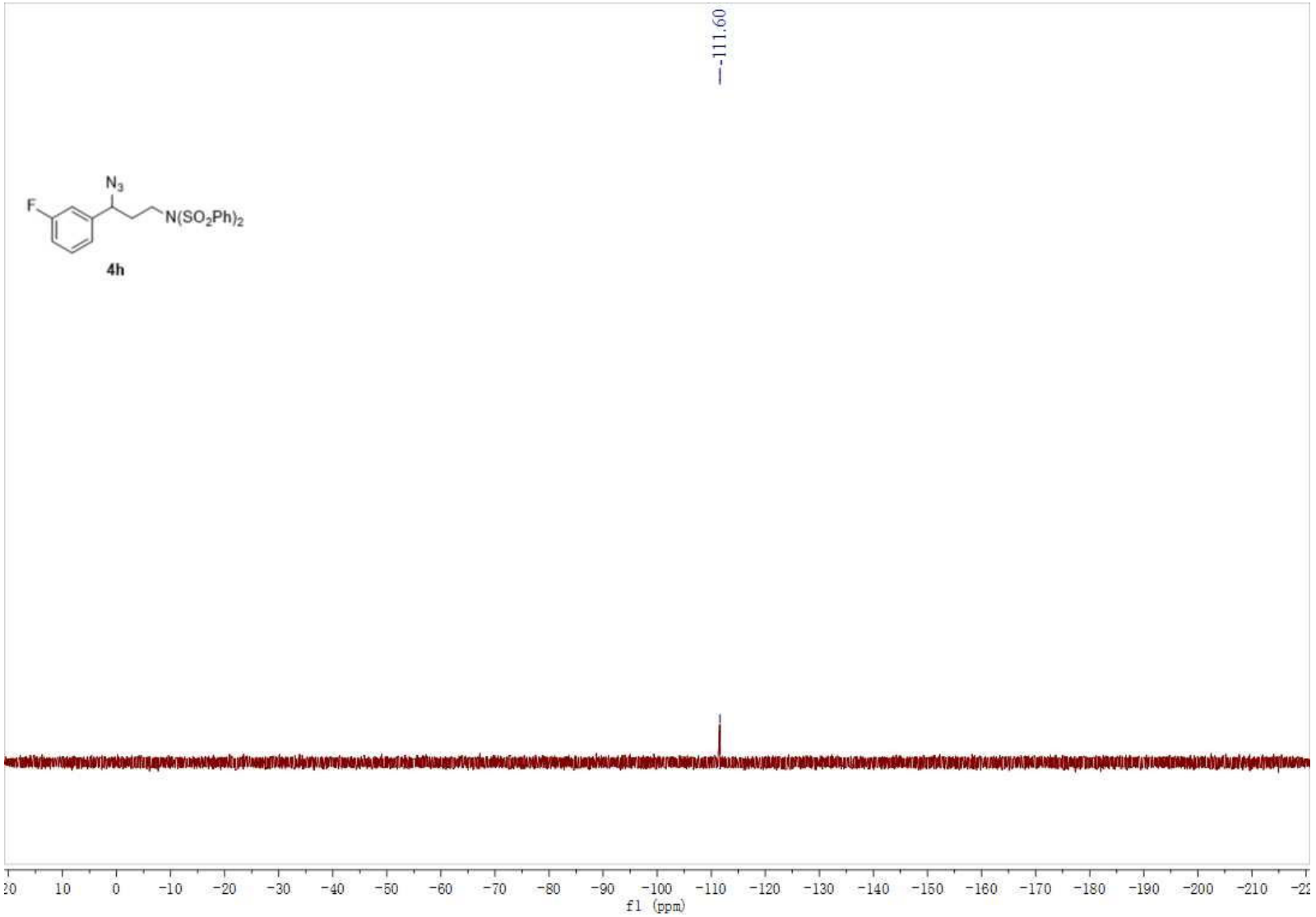
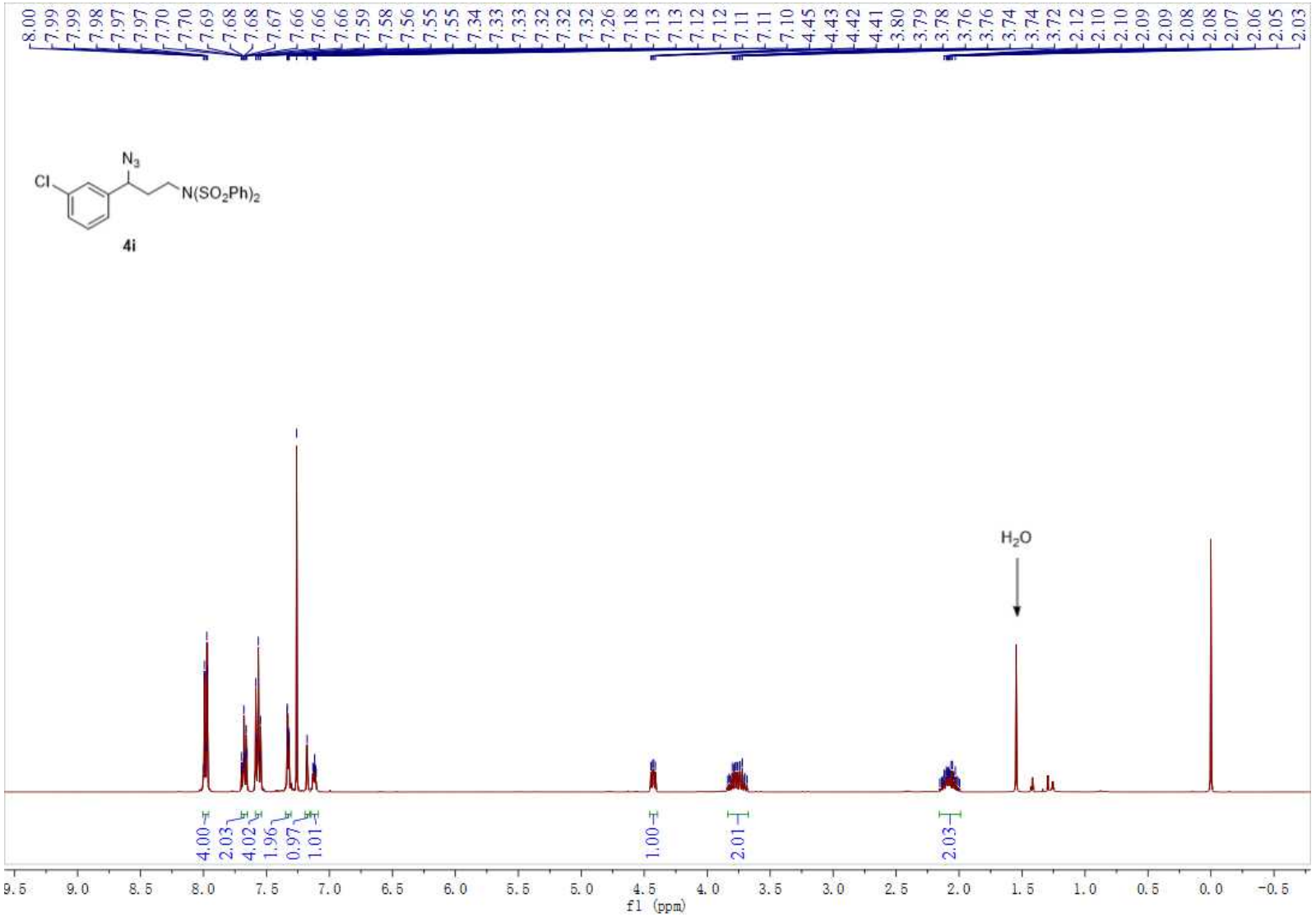
130.65
130.57
129.21
128.17
122.45
122.42
115.70
115.49
113.87
113.65
77.32
77.00
76.68
62.71
46.04
36.05
N3
F
N(SO2Ph)2
4h
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


-111.60
N3
F
N(SO2Ph)2
4h
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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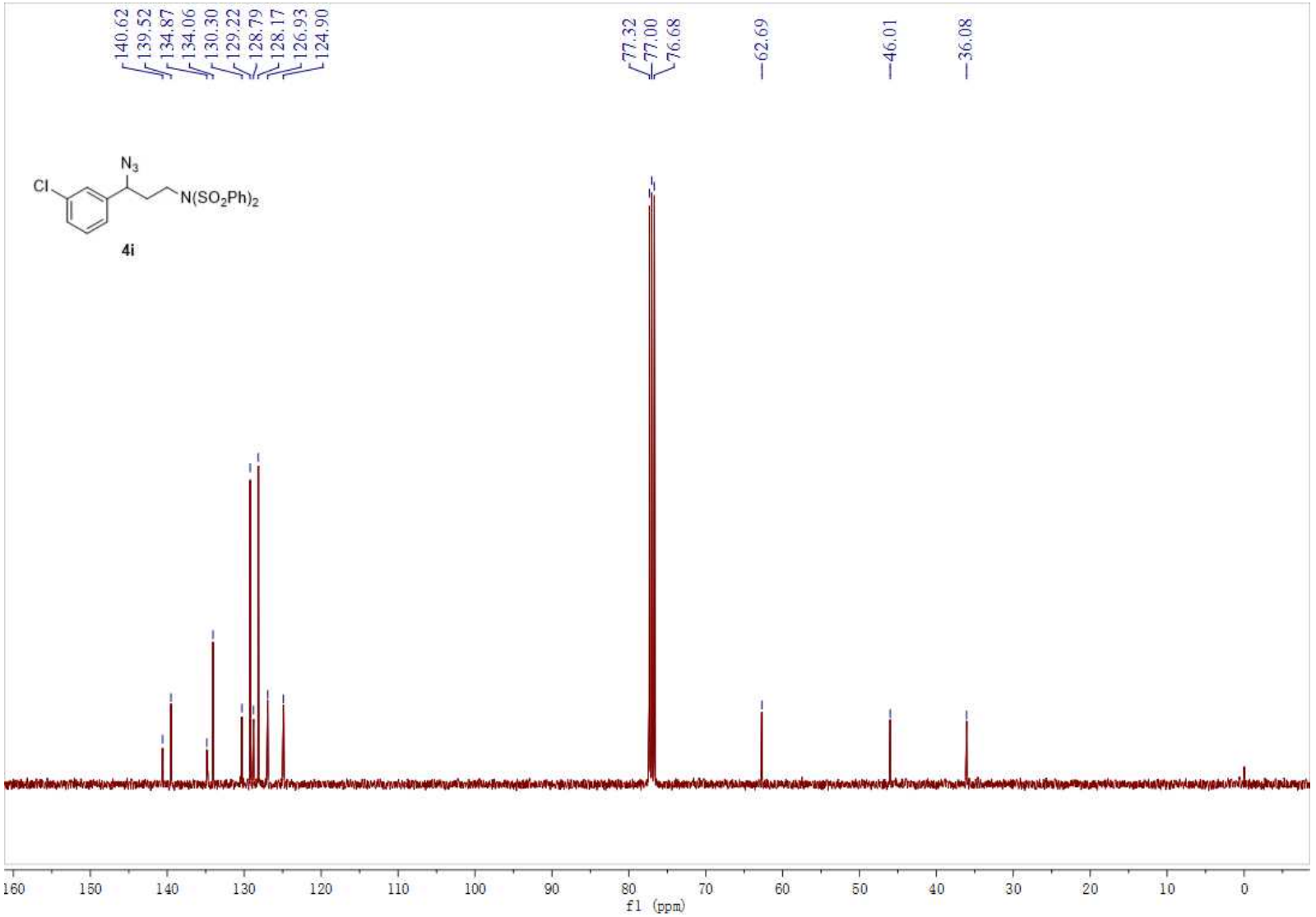
N3
Cl
N(SO2Ph)2
4i
H2O
4.00
2.03
4.02
1.96
0.97
1.01
1.00
2.01
2.03
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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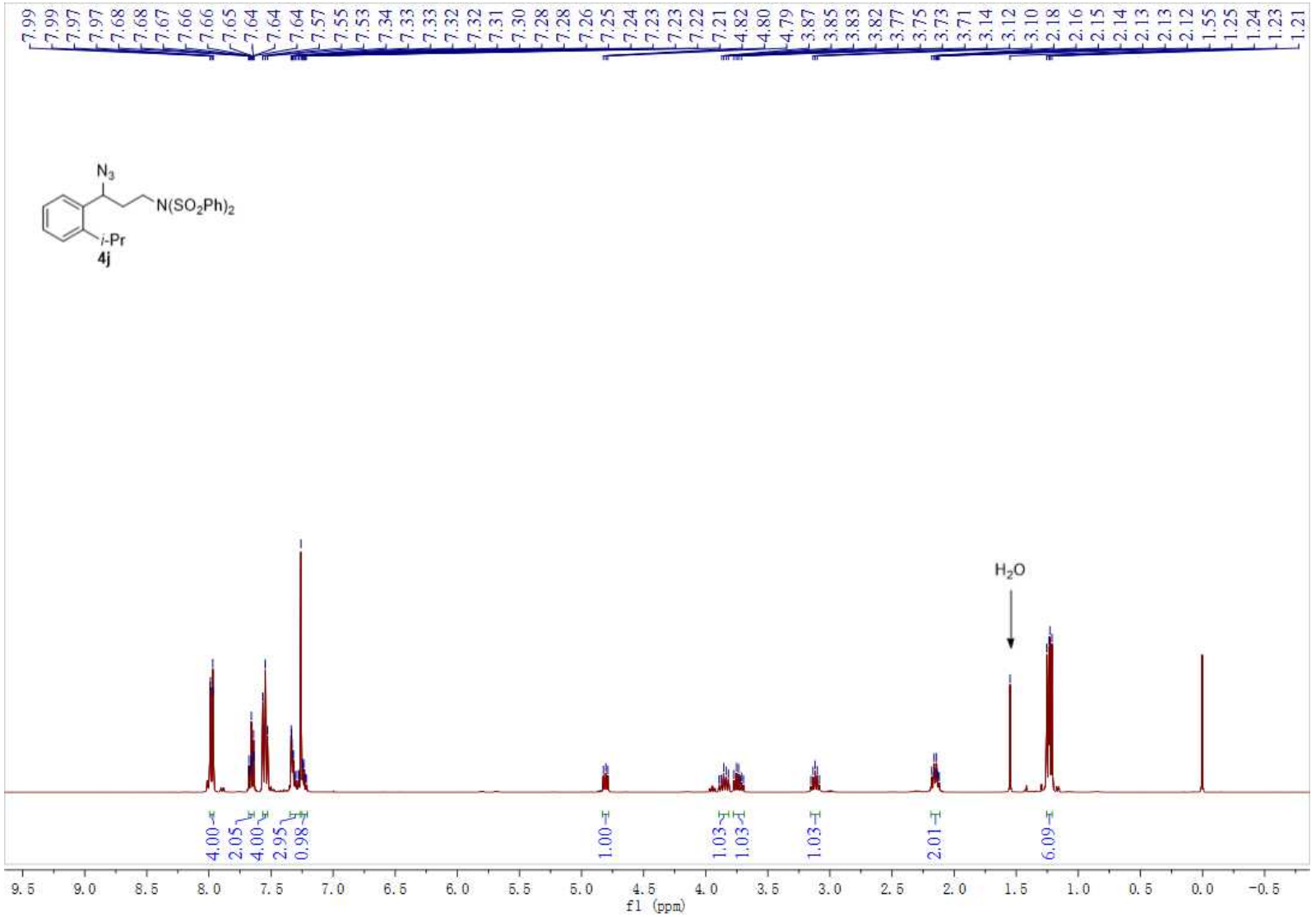
128.17
126.93
124.90
77.32
77.00
76.68
62.69
46.01
36.08
N3
Cl
N(SO2Ph)2
4i
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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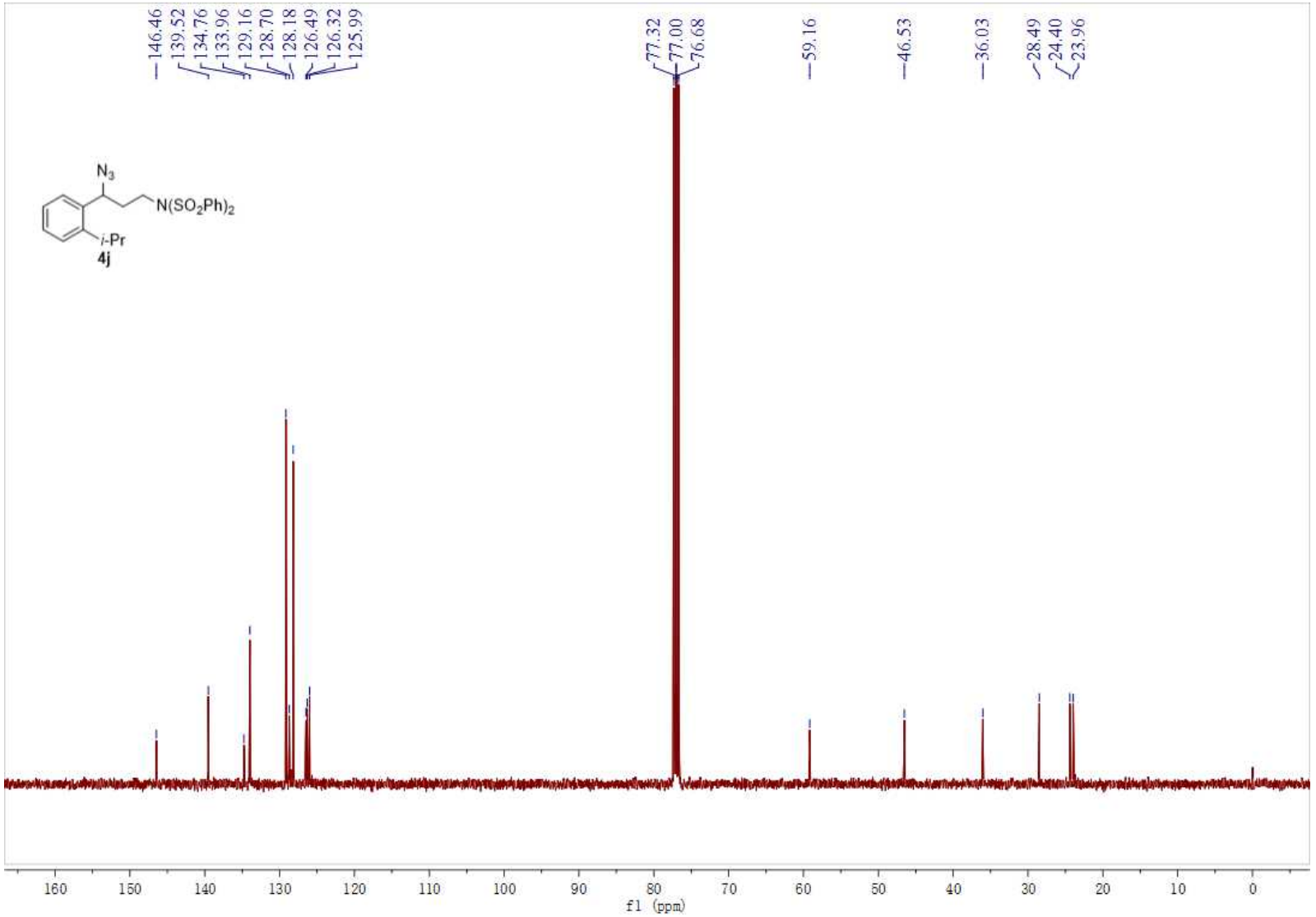
N3
N(SO2Ph)2
i-Pr
4j
H2O
4.00 2.05 4.00 2.95 0.98 1.00 1.03 1.03 1.03 2.01 6.09
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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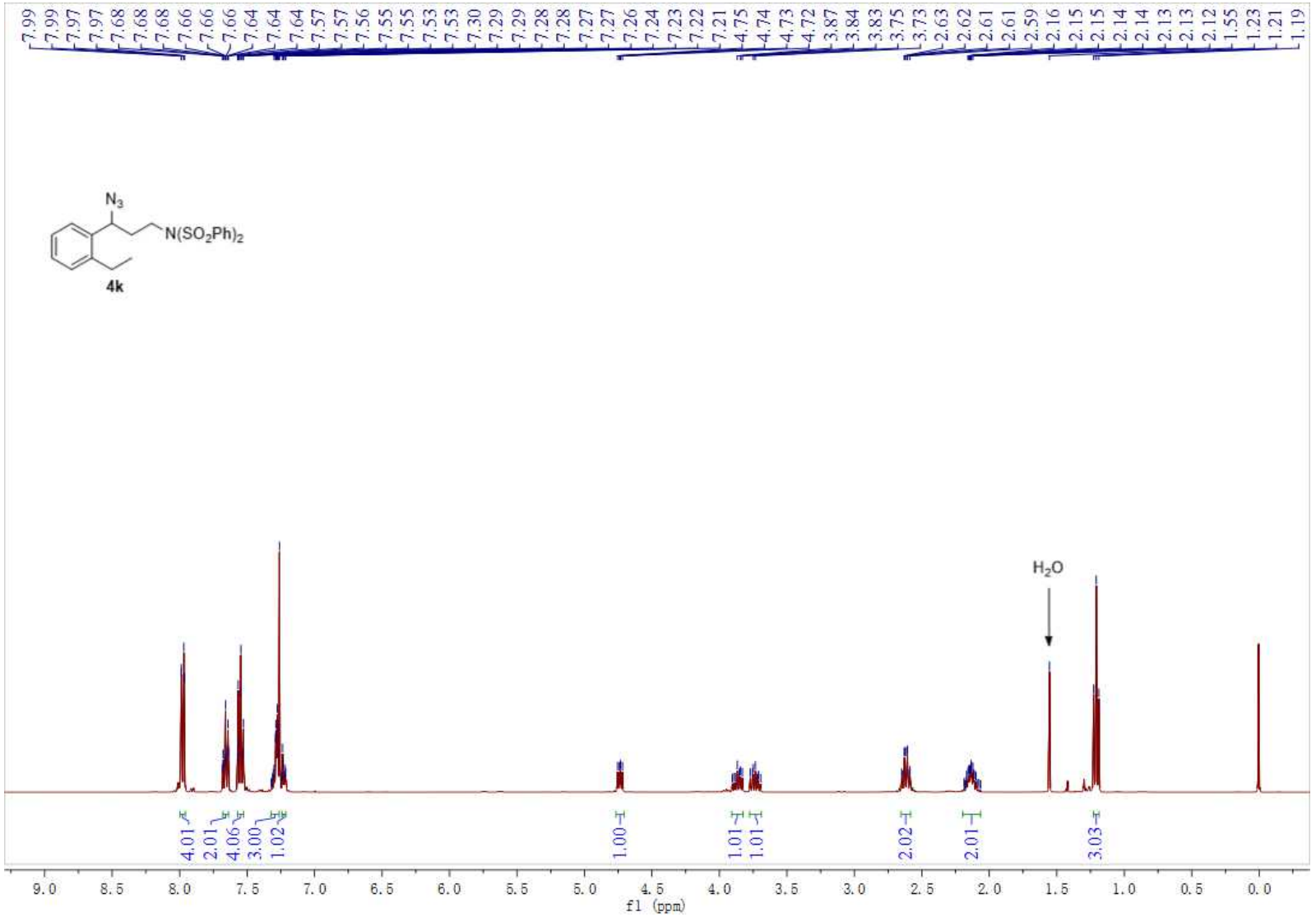
125.99
77.32
77.00
76.68
59.16
46.53
36.03
28.49
24.40
23.96
N3
N(SO2Ph)2
i-Pr
4j
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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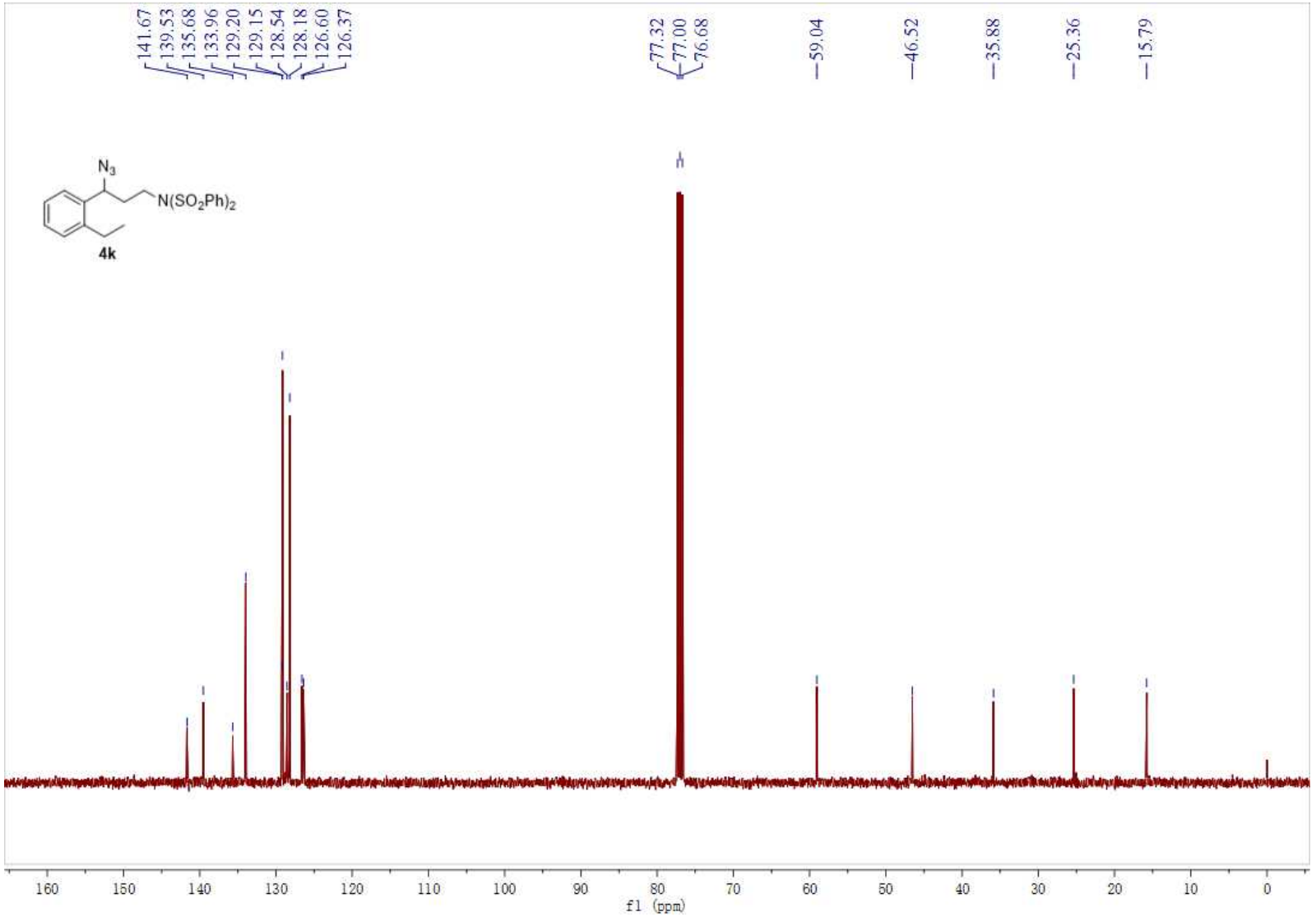
N3
N(SO2Ph)2
4k
H2O
4.01 2.01 4.06 3.00 1.02 1.00 1.01 1.01 2.02 2.01 3.03
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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126.37
77.32
77.00
76.68
59.04
46.52
35.88
25.36
15.79
N3
N(SO2Ph)2
4k
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120
110
100
90
80
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50
40
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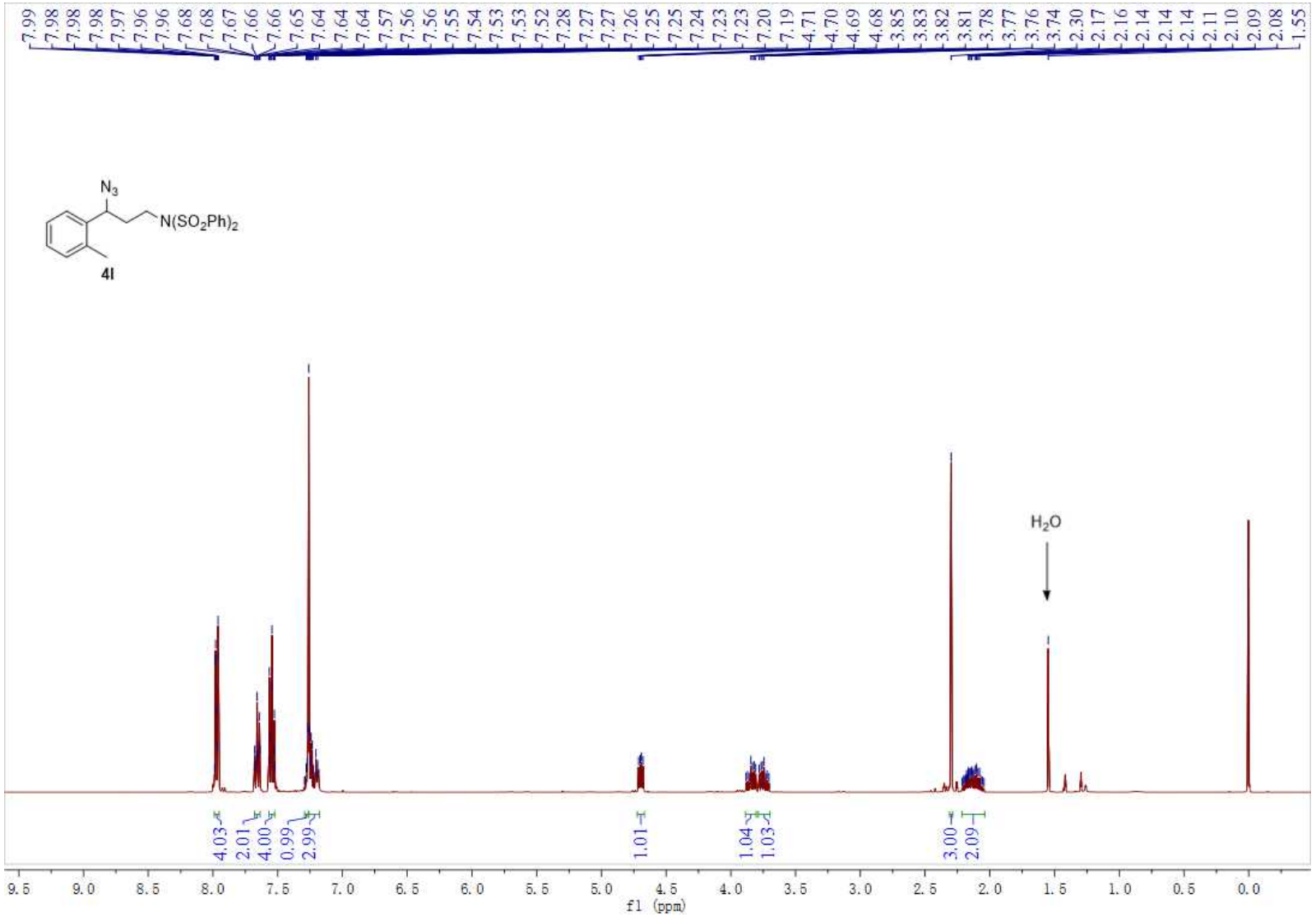
10
0
f1 (ppm)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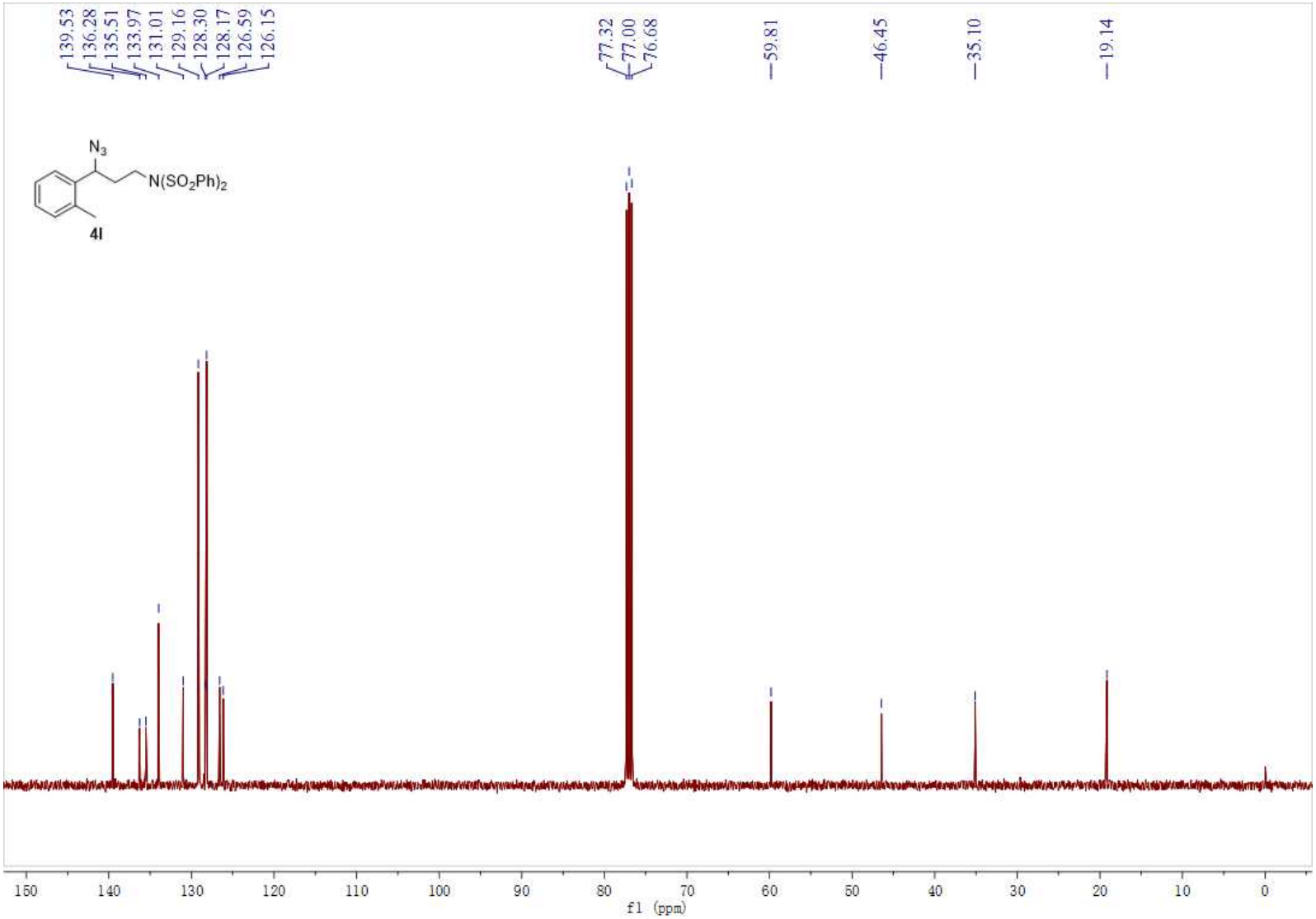
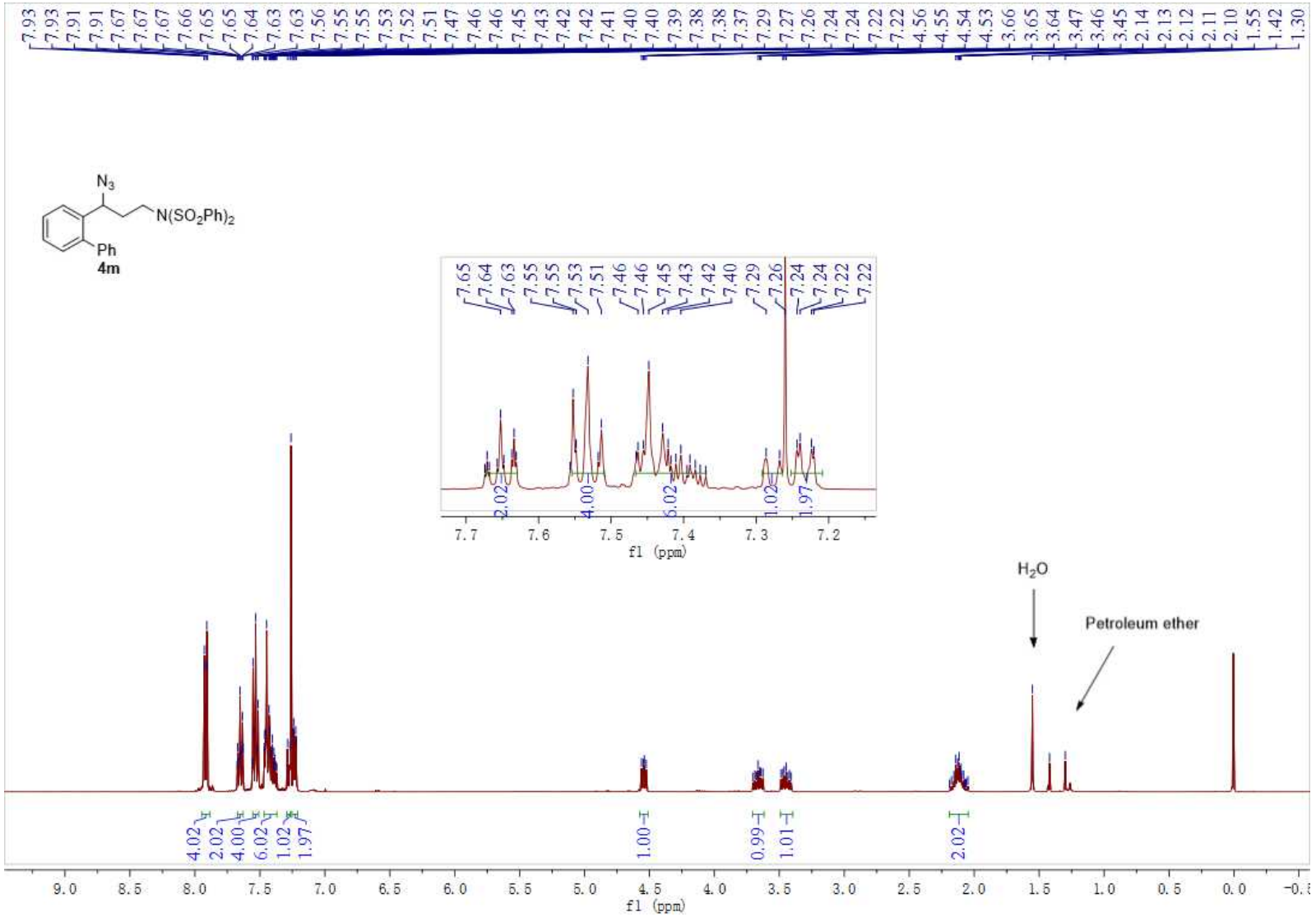
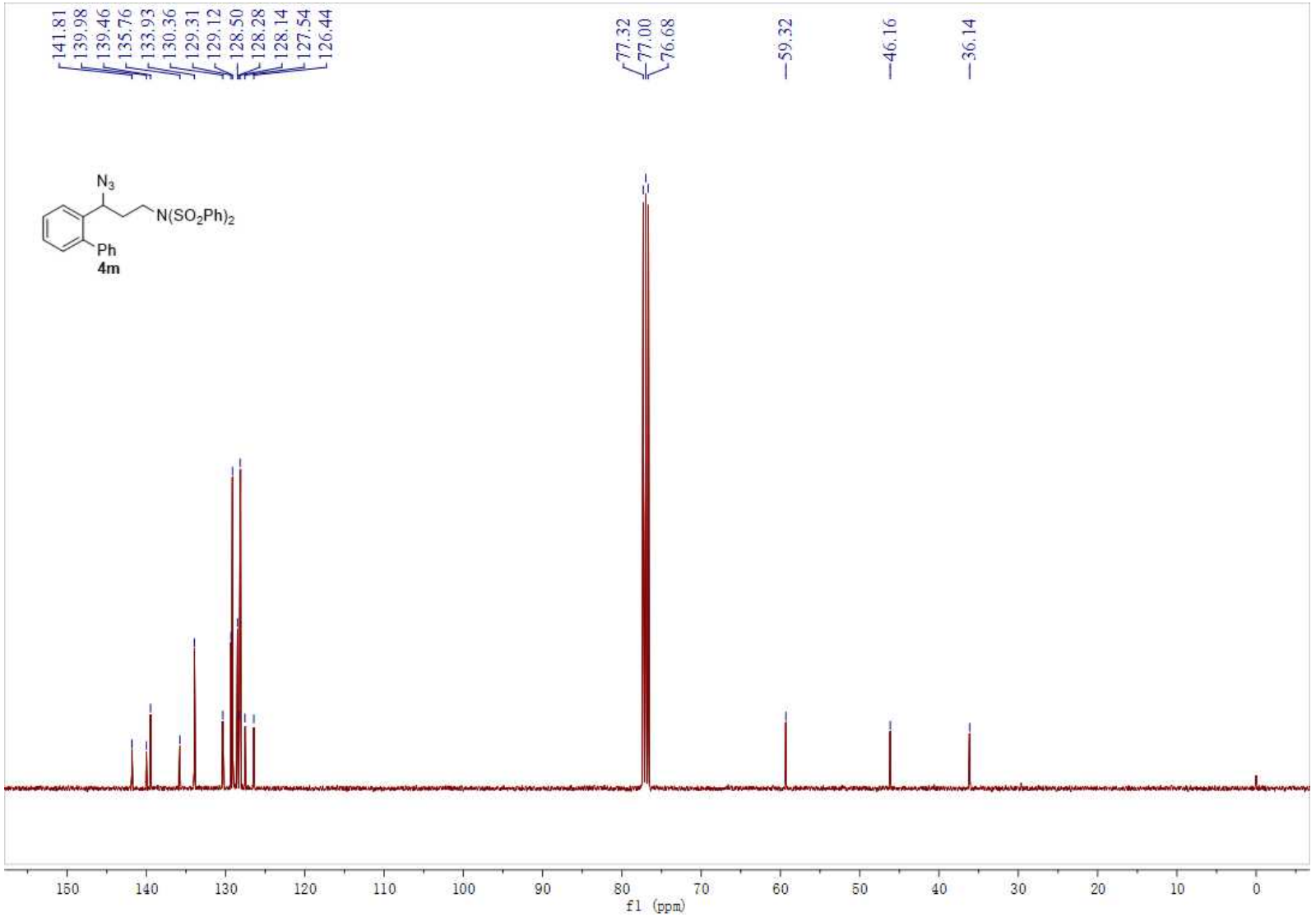
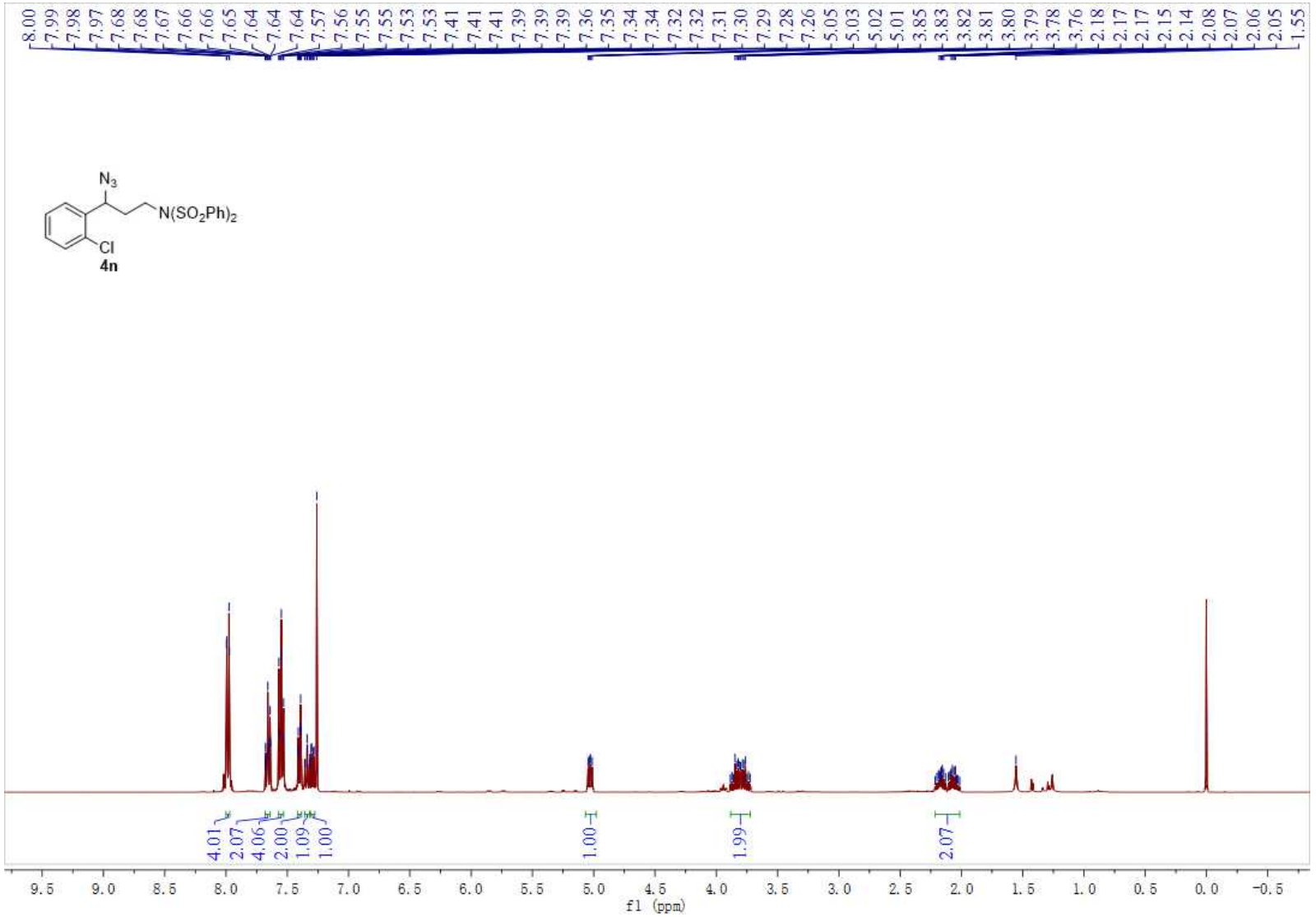
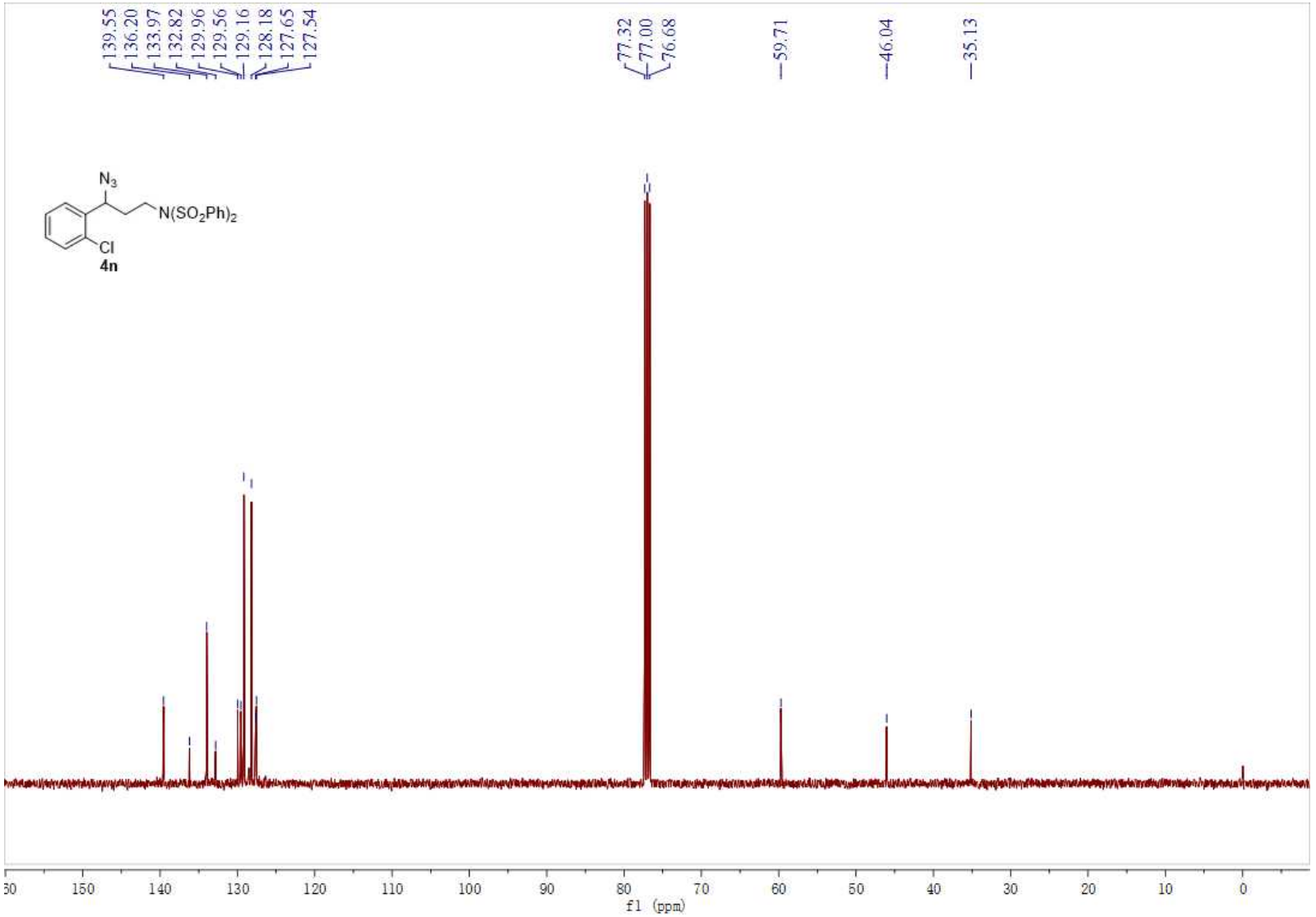
7.56
7.56
7.55
7.54
7.53
7.53
7.52
7.28
7.27
7.27
7.26
7.25
7.25
7.24
7.23
7.23
7.20
7.19
4.71
4.70
4.69
4.68
3.85
3.83
3.82
3.81
3.78
3.77
3.76
3.74
2.30
2.17
2.16
2.14
2.14
2.14
2.11
2.10
2.09
2.08
1.55
N3
N(SO2Ph)2
4l
H2O
4.03
2.01
4.00
0.99
2.99
1.01
1.04
1.03
3.00
2.09
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

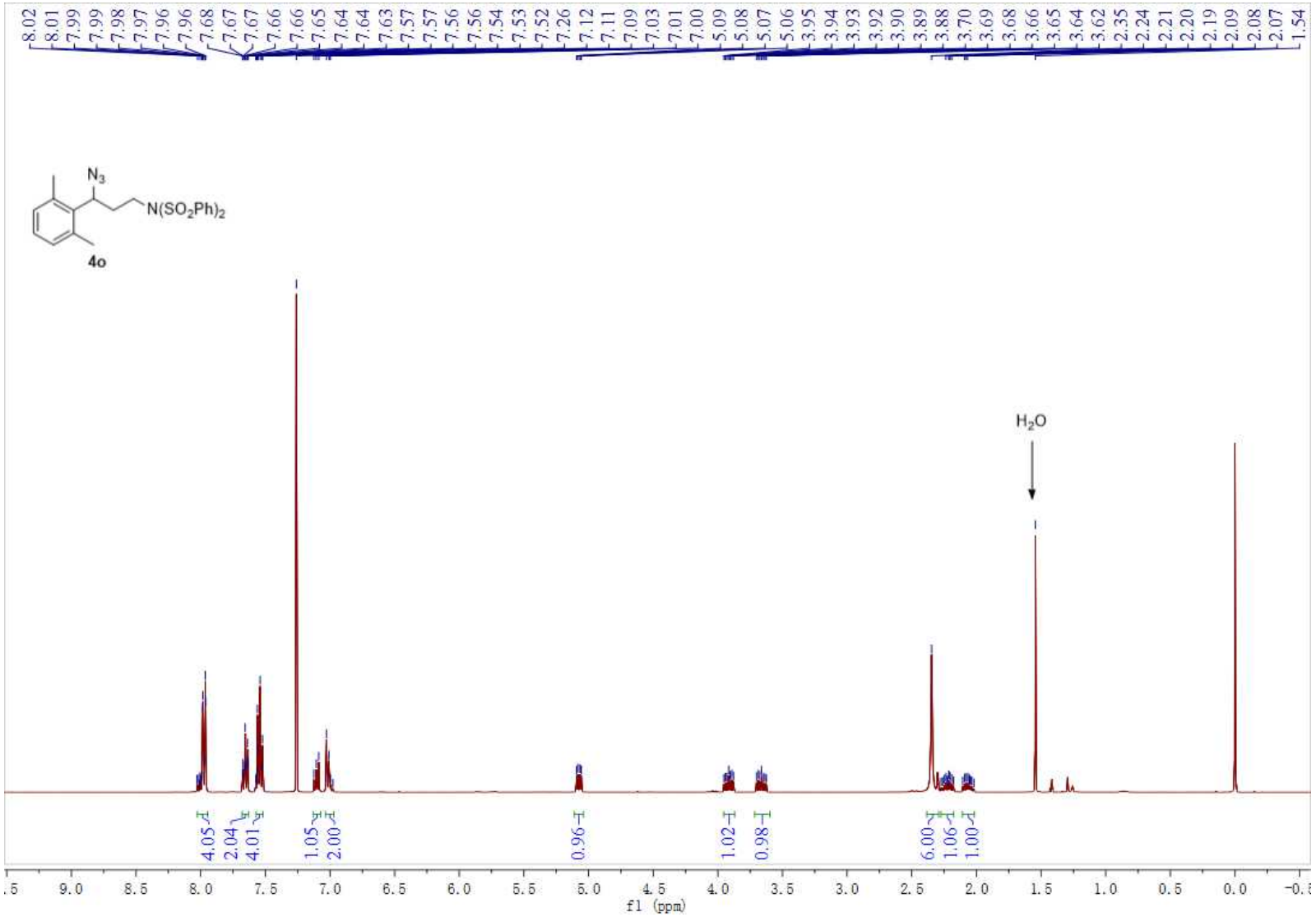

139.53
136.28
135.51
133.97
131.01
129.16
128.30
128.17
126.59
126.15
77.32
77.00
76.68
59.81
46.45
35.10
19.14
N3
N(SO2Ph)2
4l
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

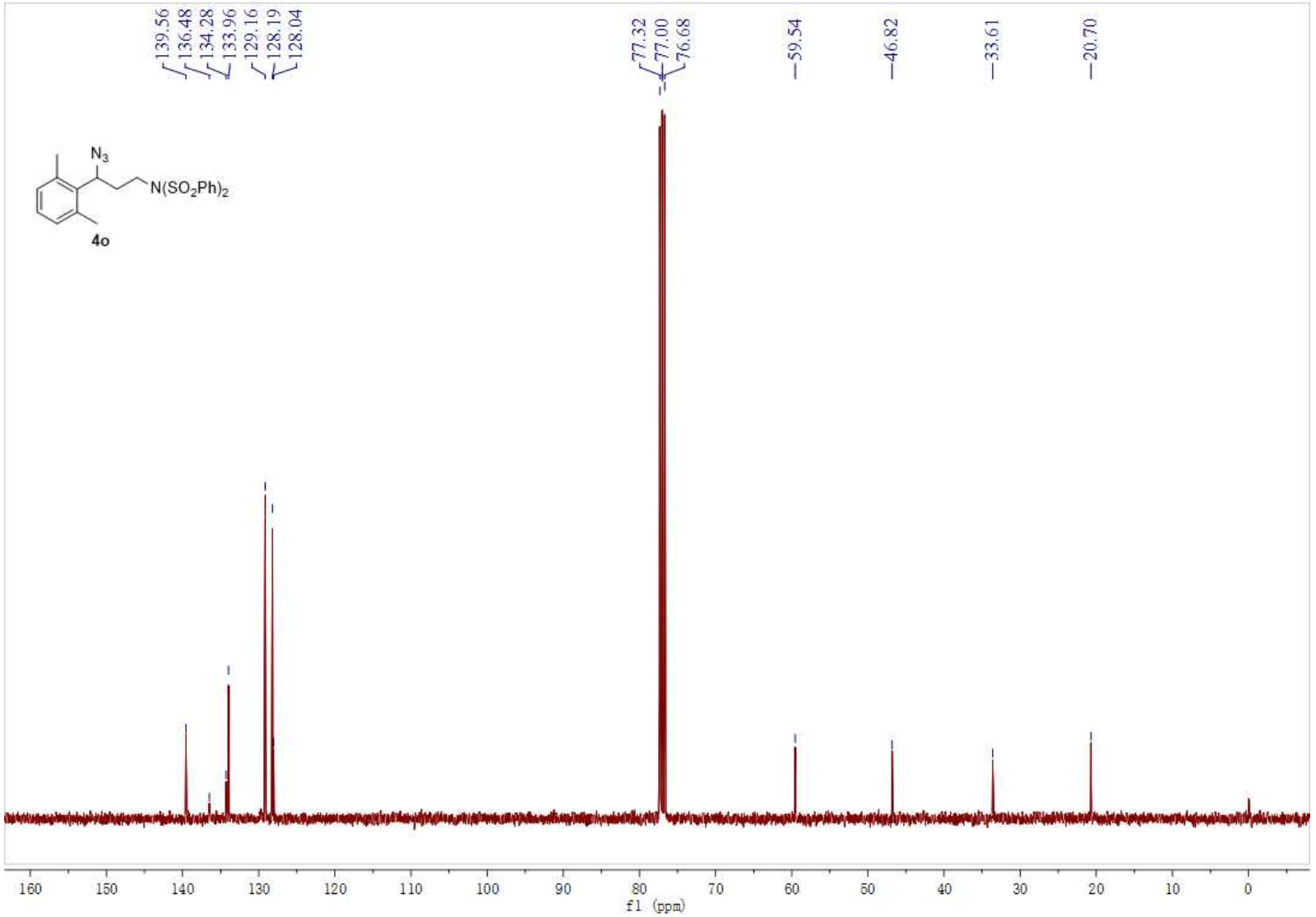

7.93 7.93 7.91 7.91 7.67 7.67 7.67 7.66 7.65 7.65 7.64 7.63 7.63 7.56 7.55 7.55 7.53 7.52 7.51 7.47 7.46 7.46 7.45 7.43 7.42 7.42 7.41 7.40 7.40 7.39 7.38 7.38 7.37 7.29 7.27 7.26 7.24 7.24 7.22 7.22 4.56 4.55 4.54 4.53 3.66 3.65 3.64 3.47 3.46 3.45 2.14 2.13 2.12 2.11 2.10 1.55 1.42 1.30
N3
N(SO2Ph)2
Ph
4m
7.65 7.64 7.63 7.55 7.55 7.53 7.51 7.46 7.46 7.45 7.43 7.42 7.40 7.29 7.26 7.24 7.24 7.22 7.22
2.02 4.00 6.02 1.02 1.97
7.7 7.6 7.5 7.4 7.3 7.2
f1 (ppm)
H2O
Petroleum ether
4.02 2.02 4.00 6.02 1.02 1.97 1.00 0.99 1.01 2.02
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 0.0
f1 (ppm)

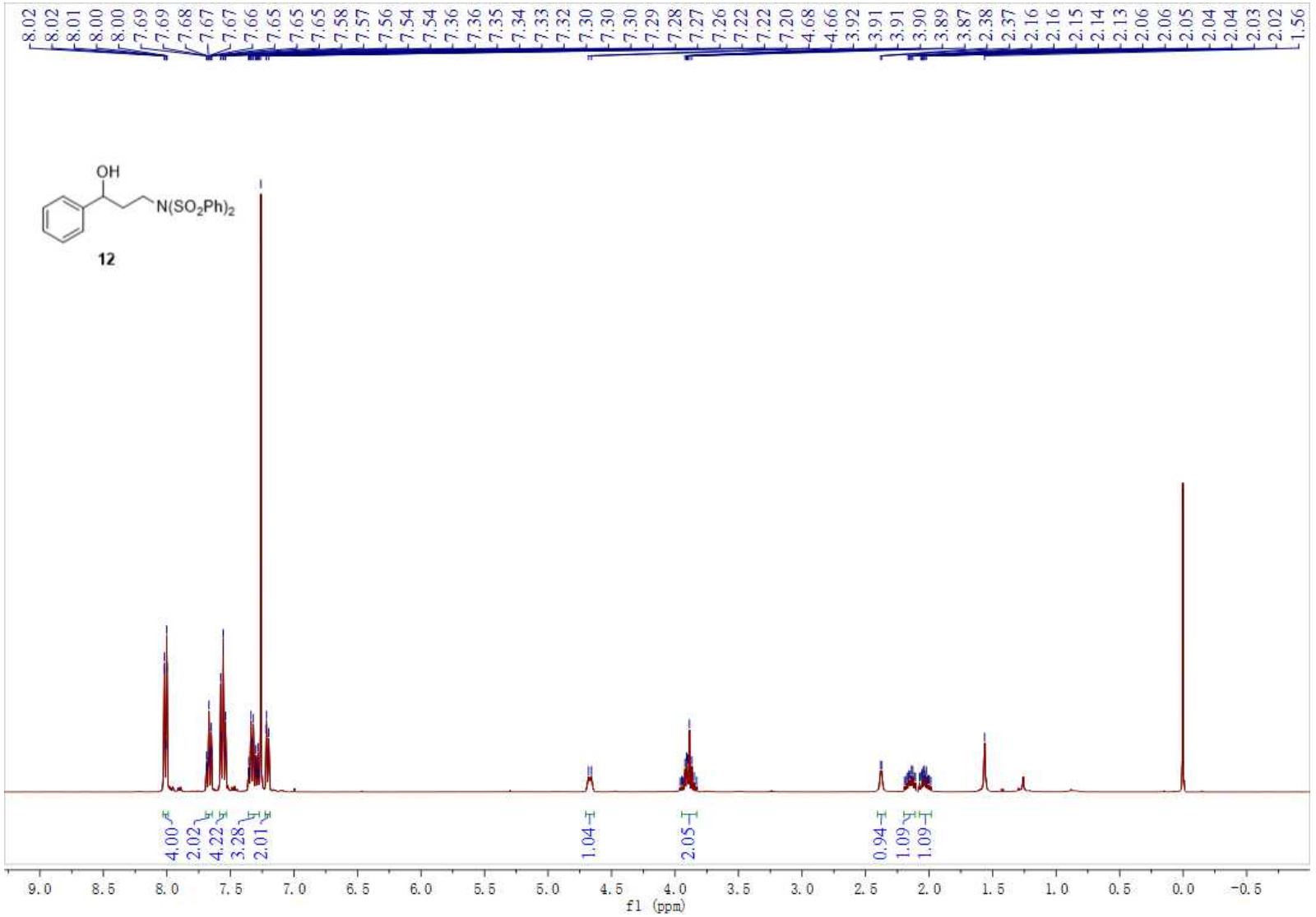

141.81
139.98
139.46
135.76
133.93
130.36
129.31
129.12
128.50
128.28
128.14
127.54
126.44
77.32
77.00
76.68
59.32
46.16
36.14
N3
N(SO2Ph)2
Ph
4m
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

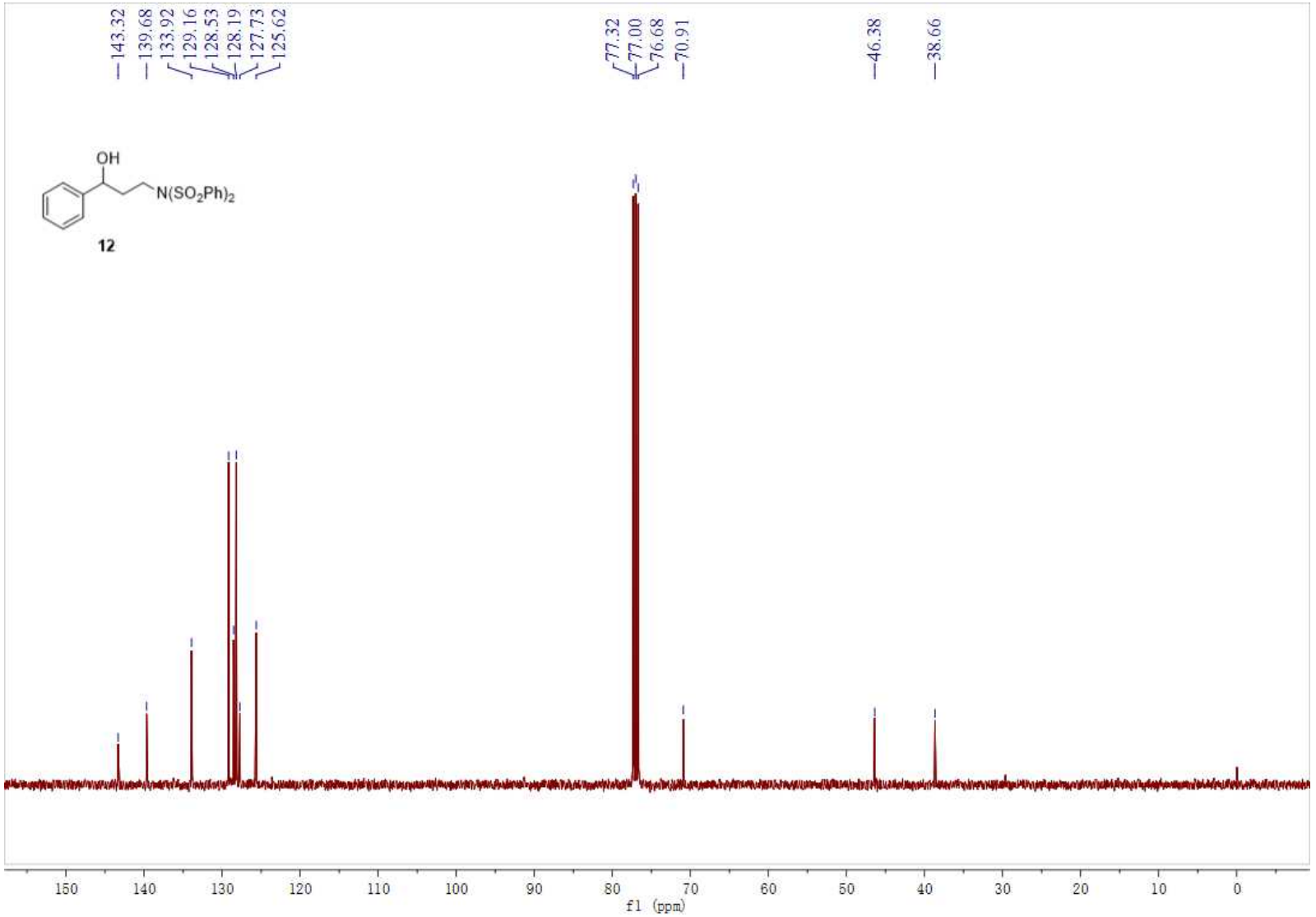

8.00 7.99 7.98 7.97 7.68 7.68 7.67 7.66 7.66 7.65 7.64 7.64 7.64 7.57 7.56 7.55 7.55 7.53 7.53 7.41 7.41 7.41 7.39 7.39 7.39 7.36 7.35 7.34 7.34 7.32 7.32 7.31 7.30 7.29 7.28 7.26 5.05 5.03 5.02 5.01 3.85 3.83 3.82 3.81 3.80 3.79 3.78 3.76 2.18 2.17 2.17 2.15 2.14 2.08 2.07 2.06 2.05 1.55
N3
N(SO2Ph)2
Cl
4n
4.01 2.07 4.06 2.00 1.09 1.00 1.00 1.99 2.07
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 0.0 -0.5
f1 (ppm)


139.55
136.20
133.97
132.82
129.96
129.56
129.16
128.18
127.65
127.54
77.32
77.00
76.68
59.71
46.04
35.13
N3
N(SO2Ph)2
Cl
4n
f1 (ppm)


8.02 8.01 7.99 7.99 7.98 7.97 7.96 7.96 7.68 7.67 7.67 7.66 7.66 7.65 7.64 7.64 7.63 7.57 7.57 7.56 7.56 7.54 7.53 7.52 7.26 7.12 7.11 7.09 7.03 7.01 7.00 5.09 5.08 5.07 5.06 3.95 3.94 3.93 3.92 3.90 3.89 3.88 3.70 3.69 3.68 3.66 3.65 3.64 3.62 2.35 2.24 2.21 2.20 2.19 2.09 2.08 2.07 1.54
N3
N(SO2Ph)2
4o
H2O
4.05 2.04 4.01 1.05 2.00 0.96 1.02 0.98 6.00 1.06 1.00
f1 (ppm)


139.56
136.48
134.28
133.96
129.16
128.19
128.04
77.32
77.00
76.68
59.54
46.82
33.61
20.70
N3
N(SO2Ph)2
4o
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


8.02 8.02 8.01 8.00 8.00 7.69 7.69 7.68 7.67 7.67 7.66 7.65 7.65 7.65 7.58 7.57 7.56 7.54 7.54 7.36 7.36 7.35 7.34 7.33 7.32 7.30 7.30 7.30 7.29 7.28 7.27 7.26 7.22 7.22 7.20 4.68 4.66 3.92 3.91 3.91 3.90 3.89 3.87 2.38 2.37 2.16 2.16 2.15 2.14 2.13 2.06 2.06 2.05 2.04 2.04 2.03 2.02 1.56
OH
N(SO2Ph)2
12
4.00 2.02 4.22 3.28 2.01 1.04 2.05 0.94 1.09 1.09
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 0.0 -0.5
f1 (ppm)


143.32
139.68
133.92
129.16
128.53
128.19
127.73
125.62
77.32
77.00
76.68
70.91
46.38
38.66
OH
N(SO2Ph)2
12
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)