Synthesis of N-Tosylaziridines from Substituted Alkenes via Zirconooxaziridine Catalysis

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Supporting Information

A. MATERIALS AND METHODS

Reagents were obtained from Aldrich Chemical (www.sigma-aldrich.com), Acros Organics (www.us.vwr.com) or Alfa Aesar (www.us.vwr.com) and used without further purification. Solvents were obtained from EMD Miliphore DrySol (www.us.vwr.com) and degassed with N_2. Solution phase reactions were performed in glass vials or round bottom flasks with inert atmosphere and magnetic stirring. Cold baths were generated as follows: 0 °C, wet ice/water; –10 °C, ice/acetone; –20 °C, dry ice/isopropanol monitored with a thermometer; –44 °C, dry ice/CH_3CN; –63 °C, dry ice/chloroform; –78 °C, dry ice/acetone; –100 °C, dry ice/Et_2O. Heated reactions were performed using IKA heating blocks. TLC was performed on 0.25 mm E. Merck silica gel 60 F254 plates and visualized under UV light and/or the following stain solutions: cerium ammonium molybdate (CAM), phosphomolybdic acid (PMA), iodine (I_2), or *p*-anisaldehyde. Silica flash chromatography was performed on E. Merck 230–400 mesh silica gel 60. Automated chromatography was performed on a ISOLERA Prime instrument with 10 g. SNAP silica gel normal phase cartridges using a flow rate of 12.0 mL/min and a gradient of 0–100% EtOAc in heptanes over 20 min with UV detection at 254 nm. NMR spectra were recorded on a Bruker Avance Neo 400 MHz Spectrometer at 24 °C in $CDCl_3$ unless otherwise indicated. Chemical shifts are expressed in ppm relative to TMS (^1H, 0 ppm) or solvent signals: $CDCl_3$ (^1H, 7.23 ppm; ^{13}C, 77.0 ppm); coupling constants are expressed in Hz. Low and high resolution mass spectroscopy was performed on a Agilent 6230 Accurate-Mass Time-of-Flight 1290 Infinity UHPLC/MS.

General method for the synthesis of aziridines:

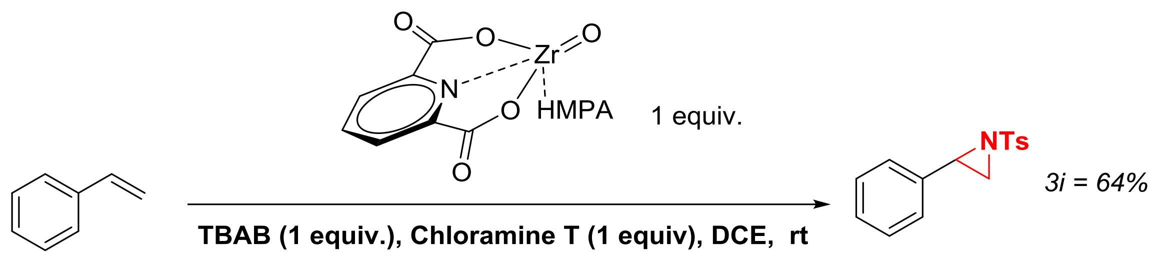
In a 20 mL vial, ZrODipic(HMPA) (0.001 mmol, 0.01 equiv., 1 mol%), TBAB (0.0075 mmol, 0.075 equiv., 7.5 mol%) and chloramine T (0.3 mmol, 3 equiv.) were mixed in DCE (0.1M, 1 mL) and allowed to react for 5 min. Then alkene was added (0.1 mmol, 1 equiv.) and the reaction was allowed to stir vigorously at rt for 16h or until disappearance of alkene by TLC. The crude mixture was filtered through a pad of 1:1 celite and silica gel and washed with dichloromethane. The crude was then purified by silica gel column chromatography and characterize using spectroscopic methods. *Chloramine T is dried under high vacuum at 60 °C for 6 h before use.*

Mechanism experiments:

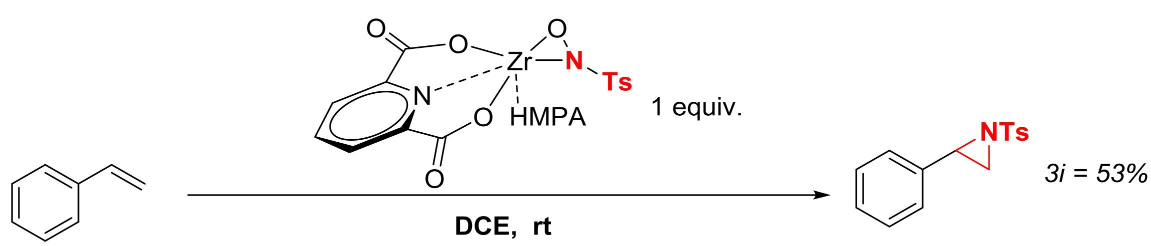
Radical trapping experiment: Due to the large number of reports that have demonstrated that transition-metal promoted delivery of N-Ts groups across alkenes occurs through radical processes, we aimed to address the potential formation of any transient radical species by a control experiment using TEMPO and BHT as radical traps. Using the same reaction as described in the general method (styrene as substrate), two reactions were set up: one with the addition of 1 equiv. of TEMPO and one with the addition of 1 equiv. of BHT. We observed no deviation on the conversion to the respective aziridine. This result clearly indicates that no radical species actively participate in the aziridination of alkenes using zirconooxaziridines.

Ligand exchange experiment: The same reaction as the one described for the general synthesis of aziridines was performed with 0.1 mmol of styrene and 2, 5 and 10 equiv. of excess HMPA. The reaction was allowed to run for 16 hours and a small aliquot (16 μL) of each reaction mixture was retrieved and diluted to 0.5 mL with $CDCl_3$ for quantitative NMR analysis. The reaction conversion to aziridine was significantly inhibited by the presence of HMPA, at 5 and 10 equiv. no aziridine was observed. Thus, indicating that HMPA-alkene ligand exchange is the rate-determining-step for this process.

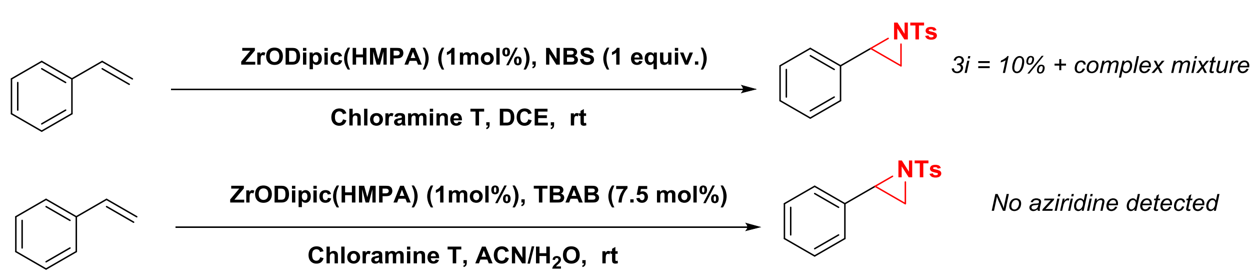
Control experiments: Experiments in the absence of ZrODipic(HMPA) did not provide any aziridine product. Thus, no combination of Chloramine T and PTC were able to produce aziridine. Below there is a list of some other control experiments that further validate the proposed mechanism:



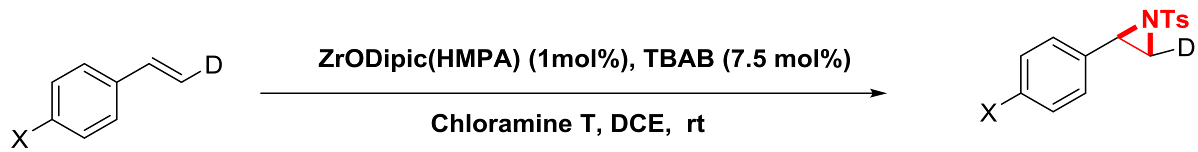
The reaction with stoichiometric amounts of ZrODipic(HMPA) proved to work in moderate yield. Both the catalyst and zirconooxaziridine **1** (active catalyst) are white solids thus no observable change of color was observed upon mixing ZrODipic(HMPA) with chloramine T. The conversion to aziridine validates the required formation of active catalyst **1** to promote the observed reaction.



The reaction with stoichiometric amounts of zirconooxaziridine **1** provided the expected product in moderate yield. Despite the increased solubility of **1**, the reaction was cloudy and after 3 h the reaction was completely heterogeneous. Despite the moderate conversion due to decomposition pathways, this experiment is further prove that the reaction does not go through a LA-activated pathway neither PTC plays a role in the actual transformation. Thus providing further evidence for the proposed pathway and the first report of a metallooxaziridine-mediated aziridination reaction.



The reaction using NBS as a potential source of electrophilic bromine provided around 10% of aziridine with a complex mixture other halogenated products. This indicates that zirconium oxides are poor promoters for halogen-mediated alkene activation pathways. The second reaction was done in the presence of 1 equiv. of H_2O and we observed no conversion to aziridine. This clearly indicates that low-field ligands like H_2O can disrupt the ligand exchange equilibria to fully stop the reaction. This is further evidence that the reaction pathways occurs through a highly associative mechanism as proposed in **figure 1**.

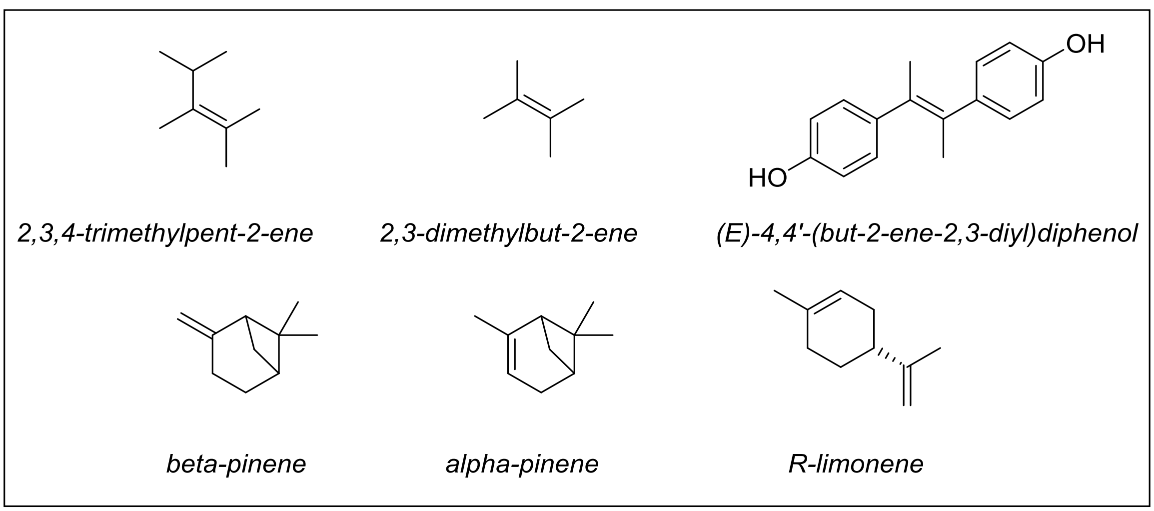


X = MeO, 95% yield, 20:1 d.r
X = CF_3, 96% yield, 18:1 d.r

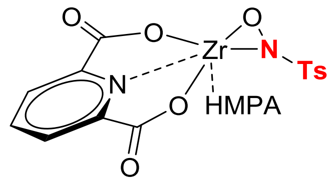
The reaction with β-D styrenes provided further evidence that the reaction is highly stereospecific and that a highly concerted pathway is likely due to the lack of observable 1,2-H/D exchange.

Despite the lack of direct evidence for the proposed zirconoisoxazolidine intermediate, the above control experiments have provided abundant evidence that the proposed mechanism is likely to be the predominant pathway.

List of substrates that were not obtained in comparable yields:

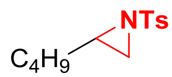


B. SYNTHESIS OF ZIRCONOOXAZIRIDINE 1:

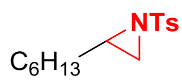


N-tosyl-Dipic(HMPA)Zirconooxaziridine (1): $ZrOCl_2$ (10 mmol, 1 equiv.) is mixed in CH_2Cl_2 (0.1M, 100 mL) with Dipic (10 mmol, 1 equiv.) and HMPA (10 mmol, 1 equiv.) and the resulting heterogeneous mixture allowed to react at rt for 2 hours. The filtrate was then washed with CH_2Cl_2 and dried under vacuum. The white solids are then dissolved in MeOH (0.1M, 100 mL) and vacuum-dried Chloramine T (10 mmol, 1 equiv.) is then added and the resulting heterogeneous mixture is then stirred vigorously at rt for 1h. The reaction is then allowed to settle and the supernatant is removed and another portion of MeOH (100 mL) is added the reaction is stirred at rt for another hour. This step was repeated two more times to provide pure zirconooxaziridine as white crystals (3.280 g, 53% yield). **MP**: 240-242°C. **^{1}H-NMR** (400 MHz, CD_3OD): δ 8.43-8.39 (m, 1H), 8.26 (t, J = 9.6 Hz, 1H), 8.11 (s, 1H), 7.68 (d, J = 8.4 Hz, 2H), 7.25 (d, J = 8.4, 2H), 2.60 (bs, 18H), 2.32 (s, 3H). **^{13}C-NMR** (100 MHz, CD_3OD): δ 169.7, 146.8, 144.5, 142.8, 140.7, 129.4, 125.8, 34.1, 20.0 ppm. **Anal.** Calculated for: $C_{20}H_{28}N_5O_8PSZr$: C, 38.70; H, 4.55; N, 11.28. Found: C, 38.89; H, 4.64; N, 11.31.

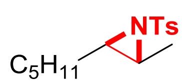
C. SYNTHESIS OF AZIRIDINES FROM TABLES 2 AND 3:



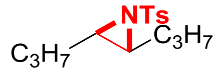
2-butyl-1-tosylaziridine (3a): Alkene **2a** (0.1 mmol) reacted under the general method to produce aziridine **3b** as a clear oil (22 mg, 89%).[1] **TLC**: R_f : 0.62 (4:1 heptanes/EtOAc). **IR** (thin film): 2953, 2935, 2862, 1597, 1453, 1325, 1160, 1096, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.83 (d, *J* = 8.2 Hz, 2H), 7.34 (d, *J* = 8.2 Hz, 2H), 2.72 (ddt, *J* = 8.2, 8.1, 4.6 Hz, 1H), 2.63 (d, *J* = 8.0 Hz, 1H), 2.44 (s 3H), 2.06 (d, *J* = 4.6 Hz, 1H), 1.56-1.53 (m, 1H), 1.36-1.31 (m, 1H), 1.23-1.14 (m, 6H), 0.84 (t, *J* = 7.5 Hz, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.4, 135.3, 129.6 (2C), 128.0 (2C), 40.4, 33.8, 31.1, 28.9, 22.1, 21.7, 13.9 ppm. **ESI-MS** *m/z* (rel int): (pos) 254.1 ([M+H]$^+$, 100); (neg) 252.1 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{13}H_{20}NO_2S^+$: 254.1209, found: 254.1216. Absolute difference (ppm): 2.75.



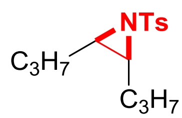
2-hexyl-1-tosylaziridine (3b): Alkene **2b** (0.1 mmol) reacted under the general method to produce aziridine **3a** as a colorless oil (26 mg, 93%).[1] **TLC**: R_f : 0.62 (4:1 heptanes/EtOAc). **IR** (thin film): 2926, 2853, 1596, 1458, 1324, 1160, 1087, 714 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.82 (d, *J* = 8.2 Hz, 2H), 7.34 (d, *J* = 8.2 Hz, 2H), 2.71 (ddt, *J* = 8.2, 8.1, 4.8 Hz, 1H), 2.64 (d, *J* = 8.0 Hz, 1H), 2.45 (s 3H), 2.06 (d, *J* = 4.8 Hz, 1H), 1.55-1.52 (m, 1H), 1.35-1.30 (m, 1H), 1.23-1.14 (m, 8H), 0.85 (t, *J* = 7.5 Hz, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.4, 135.2, 129.6 (2C), 128.0 (2C), 40.4, 33.8, 31.7, 31.5, 28.9, 26.9, 22.4, 22.1, 14.1 ppm. **ESI-MS** *m/z* (rel int): (pos) 282.2 ([M+H]$^+$, 100); (neg) 280.2 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{24}NO_2S^+$: 282.1528, found: 282.1532. Absolute difference (ppm): 1.41.



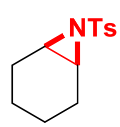
trans-2-methyl-3-pentyl-1-tosylaziridine (3c): Alkene **2c** (0.1 mmol) reacted under the general method to produce aziridine **3c** as a clear oil (24 mg, 84%).[2] **TLC**: R_f : 0.64 (4:1 heptanes/EtOAc). **IR** (thin film): 2953, 2931, 2844, 1588, 1453, 1344, 1160, 714 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.83 (d, *J* = 8.2 Hz, 2H), 7.31 (d, *J* = 8.2 Hz, 2H), 2.69 (dq, *J* = 8.2, 7.5 Hz, 1H), 2.46 (ddd, *J* = 8.2, 7.8, 7.6 Hz, 1H), 2.43 (s 3H), 1.58 (d, *J* = 7.5 Hz, 3H), 1.55-1.52 (m, 1H), 1.33-1.30 (m, 1H), 1.25-1.18 (m, 6H), 0.84 (t, *J* = 7.5 Hz, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 143.8, 136.1, 129.5 (2C), 128.1 (2C), 42.8, 33.3, 32.1, 27.1, 26.8, 22.9, 21.6, 14.1, 13.9 ppm. **ESI-MS** *m/z* (rel int): (pos) 282.2 ([M+H]$^+$, 100); (neg) 280.2 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{24}NO_2S^+$: 282.1528, found: 282.1532. Absolute difference (ppm): 1.44.



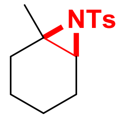
trans-2,3-dipropyl-1-tosylaziridine (3d): Alkene **2d** (0.1 mmol) reacted under the general method to produce aziridine **3d** as an oil (22 mg, 79%).[3] **TLC**: R_f : 0.62 (4:1 heptanes/EtOAc). **IR** (thin film): 2962, 2880, 1608, 1471, 1326, 1244, 1160, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.83 (d, J = 8.2 Hz, 2H), 7.34 (d, J = 8.2 Hz, 2H), 2.68-2.66 (m, 2H), 2.44 (s 3H), 1.46-1.39 (m, 2H), 1.37-1.25 (m, 8H), 0.88 (t, J = 7.5 Hz, 6H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 143.6, 137.9, 129.3, 127.5, 49.4, 31.8, 21.6, 20.6, 13.8 ppm. **ESI-MS** *m/z* (rel int): (pos) 282.2 ([M+H]$^+$, 100); (neg) 280.2 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{24}NO_2S^+$: 282.1528, found: 282.1519. Absolute difference (ppm): 3.19.



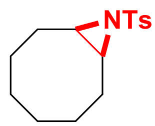
cis-2,3-dipropyl-1-tosylaziridine (3e): Alkene **2e** (0.1 mmol) reacted under the general method to produce aziridine **3e** as an oil (24 mg, 86%).[3] **TLC**: R_f : 0.62 (4:1 heptanes/EtOAc). **IR** (thin film): 2944, 2880, 1608, 1471, 1326, 1244, 1162, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.82 (d, J = 8.2 Hz, 2H), 7.32 (d, J = 8.2 Hz, 2H), 2.79 (t, J = 6.4 Hz, 2H), 2.44 (s 3H), 1.46-1.39 (m, 2H), 1.37-1.34 (m, 2H), 1.31-1.25 (m, 4H), 0.86 (t, J = 7.5 Hz, 6H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.2, 135.3, 129.5, 128.0, 45.0, 28.7, 21.6, 20.6, 13.8 ppm. **ESI-MS** *m/z* (rel int): (pos) 282.2 ([M+H]$^+$, 100); (neg) 280.2 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{24}NO_2S^+$: 282.1528, found: 282.1533. Absolute difference (ppm): 1.77.



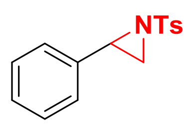
cis-7-tosyl-7-azabicyclo[4.1.0]heptane (3f): Alkene **2f** (0.1 mmol) reacted under the general method to produce aziridine **3f** as an oil (22 mg, 88%).[3] **TLC**: R_f : 0.59 (4:1 heptanes/EtOAc). **IR** (thin film): 2962, 2944, 2880, 1626, 1471, 1160, 1080, 717 cm^{-1}. 7.82 (d, J = 8.2 Hz, 2H), 7.32 (d, J = 8.2 Hz, 2H), 2.98 (t, J = 5.3 Hz, 2H), 2.45 (s 3H), 1.81-1.77 (m, 4H), 1.43 (dddd, J = 7.3, 6.4, 5.3 Hz, 2H), 1.24 (dddd, J = 7.3, 6.4, 5.3 Hz, 2H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.0, 135.9, 129.6, 127.6, 39.8, 22.8, 21.6, 19.4 ppm. **ESI-MS** *m/z* (rel int): (pos) 252.1 ([M+H]$^+$, 100); (neg) 250.1 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{13}H_{18}NO_2S^+$: 252.1053, found: 252.1061. Absolute difference (ppm): 3.14.



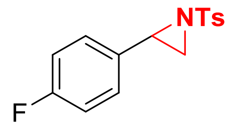
cis-1-methyl-7-tosyl-7-azabicyclo[4.1.0]heptane (3g): Alkene **2g** (0.1 mmol) reacted under the general method to produce aziridine **3g** as an oil (20 mg, 75%).[3] **TLC**: R_f: 0.62 (4:1 heptanes/EtOAc). **IR** (thin film): 2980, 2862, 1608, 1471, 1326, 1250, 1062, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.82 (d, J = 8.2 Hz, 2H), 7.31 (d, J = 8.2 Hz, 2H), 3.05 (dd, J = 4, 2.4 Hz, 1H), 2.43 (s 3H), 2.07-2.02 (m, 1H), 1.84-1.78 (m, 1H), 1.72 (s, 3H), 1.56-1.49 (m, 3H), 1.39-1.35 (m, 2H), 1.16-1.12 (m ,1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 143.3, 139.1, 129.6, 127.0, 51.3, 47.3, 32.1, 30.9, 29.0, 22.9, 21.6, 14.1 ppm. **ESI-MS** *m/z* (rel int): (pos) 266.1 ([M+H]$^+$, 100); (neg) 264.1 ([M−H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{14}H_{20}NO_2S^+$: 266.1209, found: 266.1216. Absolute difference (ppm): 2.63.



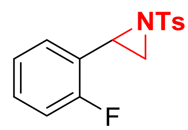
cis-9-tosyl-9-azabicyclo[6.1.0]nonane (3h): Alkene **2h** (0.1 mmol) reacted under the general method to produce aziridine **3h** as an oil (23 mg, 84%).[4] **TLC**: R_f : 0.60 (4:1 heptanes/EtOAc). **IR** (thin film): 2940, 2880, 1608, 1471, 1326, 1317, 1071, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.81 (d, J = 8.2 Hz, 2H), 7.31 (d, J = 8.2 Hz, 2H), 2.77 (dddd, J = 8.2, 7.5, 6.4, 5.3 Hz, 2H), 2.44 (s 3H), 2.02 (dddd, J = 8.2, 8.0, 6.4, 6.2 Hz, 2H), 1.59-1.53 (m, 4H), 1.45-1.40 (m, 4H), 1.34-1.29 (m, 2H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.1, 135.9, 129.6, 127.6, 44.0, 26.4, 26.2, 25.2, 21.6 ppm. **ESI-MS** *m/z* (rel int): (pos) 280.1 ([M+H]$^+$, 100); (neg) 278.1 ([M−H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{22}$ NO_2S^+: 280.1366, found: 280.1371. Absolute difference (ppm): 1.78.



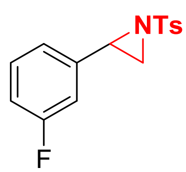
2-phenyl-1-tosylaziridine (3i): Alkene **2i** (0.1 mmol) reacted under the general method to produce aziridine **3i** as an oil (26 mg, 98%).[1] **TLC**: R_f : 0.57 (4:1 heptanes/EtOAc).[5] **IR** (thin film): 3005, 2926, 1597, 1453, 1326, 1153, 1097, 780 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.87 (d, J = 8.2 Hz, 2H), 7.34-7.21 (m, 7H), 3.78 (dd, J = 8.2, 4.8 Hz, 1H), 2.98 (d, J = 8.2 Hz, 1H), 2.43 (s, 3H), 2.39 (d, J = 4.8 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.7, 135.1, 135.0, 129.7 (2C), 128.6 (2C), 128.3, 128.0 (2C), 126.6 (2C), 41.1, 35.9, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 274.1 ([M+H]$^+$, 100); (neg) 272.1 ([M−H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{16}NO_2S^+$: 274.0896, found: 274.0891. Absolute difference (ppm): 1.80.



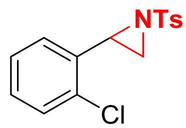
2-(4-fluorophenyl)-1-tosylaziridine (3j): Alkene **2j** (0.1 mmol) reacted under the general method to produce aziridine **3j** as a white solid (27 mg, 93%).[1] **TLC**: R_f : 0.56 (4:1 heptanes/EtOAc). **IR** (thin film): 2926, 2844, 1597, 1517, 1335, 1153, 835, 735 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.87 (d, *J* = 8.2 Hz, 2H), 7.34 (d, *J* = 8.2 Hz, 2H), 7.23 (t, *J* = 8.6, 8.0 Hz, 1H), 7.03 (d, *J* = 8.0 Hz, 1H), 6.95 (t, *J* = 8.6, 8.0 Hz, 1H), 6.91 (d, *J* = 8.0 Hz, 1H), 3.76 (dd, *J* = 8.4, 4.6 Hz, 1H), 2.98 (d, *J* = 8.4 Hz, 1H), 2.45 (s, 3H), 2.35 (d, *J* = 4.6 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 162.8 (d, *J* = 245 Hz), 144.8, 134.8, 131.0 (d, *J* = 3.6 Hz), 130.1, 129.8 (2C), 128.0 (2C), 122.5, 115.2 (d, *J* = 21.5 Hz), 40.4, 36.1, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 292.1 ($[M+H]^+$, 100); (neg) 290.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{15}H_{15}FNO_2S^+$: 292.0802, found: 292.0808. Absolute difference (ppm): 2.06.



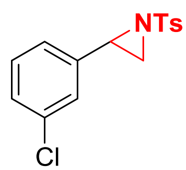
2-(2-fluorophenyl)-1-tosylaziridine (3k): Alkene **2k** (0.1 mmol) reacted under the general method to produce aziridine **3k** as a white solid (26 mg, 92%).[5] **TLC**: R_f: 0.55 (4:1 heptanes/EtOAc). **IR** (thin film): 2922, 2844, 1597, 1517, 1335, 1153, 817, 735 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.88 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.24 (t, *J* = 8.6, 8.0 Hz, 1H), 7.03 (t, *J* = 8.2, 8.0 Hz, 1H), 7.07-6.99 (m, 2H), 3.98 (dd, *J* = 8.2, 4.4 Hz, 1H), 3.01 (d, *J* = 8.2 Hz, 1H), 2.44 (s, 3H), 2.40 (d, *J* = 4.4 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 161.0 (d, *J* = 242 Hz), 144.8, 134.8, 131.0 (d, *J* = 3.5 Hz), 129.9 (2C), 128.2 (2C), 122.5, 115.2 (d, *J* = 22.4 Hz), 35.6, 35.1, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 292.1 ($[M+H]^+$, 100); (neg) 290.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{15}H_{15}FNO_2S^+$: 292.0802, found: 292.0806. Absolute difference (ppm): 1.43.



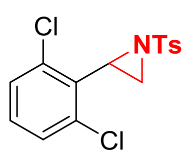
2-(3-fluorophenyl)-1-tosylaziridine (3l): Alkene **2l** (0.1 mmol) reacted under the general method to produce aziridine **3l** as a white solid (26 mg, 92%).[6] **TLC**: R_f : 0.55 (4:1 heptanes/EtOAc). **IR** (thin film): 2935, 2848, 1593, 1517, 1331, 1151, 835, 731 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.87 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.25 (t, *J* = 8.4, 8.0 Hz, 1H), 7.03 (d, *J* = 8.2 Hz, 1H), 6.97 (t, *J* = 8.2, 8.0 Hz, 1H), 6.91 (d, *J* = 8.0 Hz, 1H), 3.76 (dd, *J* = 8.2, 4.2 Hz, 1H), 2.98 (d, *J* = 8.2 Hz, 1H), 2.44 (s, 3H), 2.35 (d, *J* = 4.2 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 162.9 (d, *J* = 244 Hz), 144.8, 137.8, 134.8, 130.2 (d, *J* = 3.5 Hz), 129.9 (2C), 128.2 (2C), 122.3, 115.1 (d, *J* = 22.0 Hz), 40.2, 36.1, 21.5 ppm. **ESI-MS** *m/z* (rel int): (pos) 292.1 ($[M+H]^+$, 100); (neg) 290.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{15}H_{15}FNO_2S^+$: 292.0802, found: 292.0804. Absolute difference (ppm): 0.68.



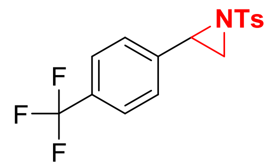
2-(2-chlorophenyl)-1-tosylaziridine (3m): Alkene **2m** (0.1 mmol) reacted under the general method to produce aziridine **3m** as a white solid (28 mg, 92%).[1] **TLC**: R_f: 0.53 (4:1 heptanes/EtOAc). **IR** (thin film): 2926, 1593, 1322, 1162, 1062, 908, 762, 731 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.90 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.33-7.30 (m, 2H), 7.22-7.18 (m, 2H), 4.04 (dd, *J* = 8.2, 4.8 Hz, 1H), 3.03 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.30 (d, *J* = 4.8 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.8, 134.7, 133.8, 133.1, 129.9, 129.2, 129.1, 128.1, 127.5, 127.4, 127.0, 39.0, 35.6, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 308.1 ([M+H]$^+$, 100); (neg) 306.1 ([M−H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{15}ClNO_2S^+$: 308.0507, found: 308.0503. Absolute difference (ppm): 1.30.



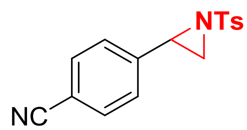
2-(3-chlorophenyl)-1-tosylaziridine (3n): Alkene **2n** (0.1 mmol) reacted under the general method to produce aziridine **3n** as a white solid (27 mg, 91%).[1] **TLC**: R_f: 0.48 (4:1 heptanes/EtOAc). **IR** (thin film): 3062, 2922, 1608, 1322, 1317, 1153, 780, 722 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.26-7.12 (m, 4H), 3.74 (dd, *J* = 8.2, 4.0 Hz, 1H), 2.97 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.34 (d, *J* = 4.0 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 145.1, 137.4, 134.8, 134.6, 129.9, 128.6, 128.1, 126.7, 125.0, 40.1, 36.1, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 308.1 ([M+H]$^+$, 100); (neg) 306.1 ([M−H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{15}ClNO_2S^+$: 308.0507, found: 308.0509. Absolute difference (ppm): 0.65.



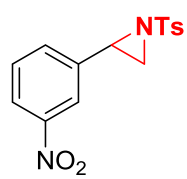
2-(2,6-dichlorophenyl)-1-tosylaziridine (3o): Alkene **2o** (0.1 mmol) reacted under the general method to produce aziridine **3o** as a clear oil (33 mg, 97%).[7] **TLC**: R_f: 0.51 (4:1 heptanes/EtOAc). **IR** (thin film): 3062, 2926, 1604, 1317, 1153, 1093, 835, 780 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.91 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.23-7.15 (m, 3H), 3.88 (dd, *J* = 8.2, 4.8 Hz, 1H), 3.14 (d, *J* = 8.2 Hz, 1H), 2.54 (d, *J* = 4.8 Hz, 1H), 2.46 (s, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.9, 136.6, 134.9, 130.6, 129.9, 129.7, 128.8, 127.3, 127.1, 127.0, 126.6, 39.8, 35.1, 21.6 ppm. **ESI-MS** *m/z* (rel int): (pos) 342.0 ([M+H]$^+$, 100); (neg) 340.0 ([M−H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{14}Cl_2NO_2S^+$: 342.0117, found: 342.0123. Absolute difference (ppm): 1.75.



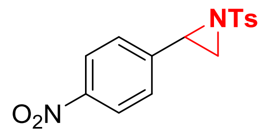
1-tosyl-2-(4-(trifluoromethyl)phenyl)aziridine (3p): Alkene **2p** (0.1 mmol) reacted under the general method to produce aziridine **3p** as a white solid (33 mg, 96%).[1] **TLC**: R_f : 0.53 (4:1 heptanes/EtOAc). **IR** (thin film): 2928, 2853, 1626, 1324, 1126, 1062, 916, 817 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.87 (d, *J* = 8.2 Hz, 2H), 7.55 (d, *J* = 8.2 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 4H), 3.81 (dd, *J* = 8.2, 4.2 Hz, 1H), 3.02 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.36 (d, *J* = 4.2 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 145.0, 139.2, 134.7, 130.7 (q, *J* = 32.4 Hz), 129.9, 129.0, 128.0, 126.9, 125.7 (q, *J* = 264 Hz), 40.4, 36.2, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 342.1 ([M+H]$^+$, 100); (neg) 340.1 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{16}H_{15}F_3NO_2S^+$: 342.0770, found: 342.0779. Absolute difference (ppm): 2.66.



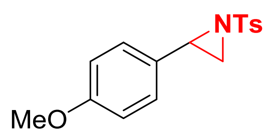
4-(1-tosylaziridin-2-yl)benzonitrile (3q): Alkene **2q** (0.1 mmol) reacted under the general method to produce aziridine **3q** as a white solid (26 mg, 88%).[1] **TLC**: R_f:: 0.51 (4:1 heptanes/EtOAc). **IR** (thin film): 2926, 2848, 1597, 1453, 1326, 1162, 817, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 8.17 (d, *J* = 8.0 Hz, 2H), 7.87 (d, *J* = 8.2 Hz, 2H), 7.41 (d, *J* = 8.2 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 3.83 (dd, *J* = 8.2, 4.0 Hz, 1H), 3.05 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.37 (d, *J* = 4.0 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 145.2, 140.7, 134.5, 132.5, 130.1, 128.1, 127.4, 118.5, 112.3, 39.9, 36.6, 21.8 ppm. **ESI-MS** *m/z* (rel int): (pos) 299.1 ([M+H]$^+$, 100); (neg) 297.1 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{16}H_{15}N_2O_2S^+$: 299.0849, found: 299.0853. Absolute difference (ppm): 1.34.



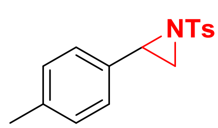
2-(3-nitrophenyl)-1-tosylaziridine (3r): Alkene **2r** (0.1 mmol) reacted under the general method to produce aziridine **3r** as a colorless solid (29 mg, 90%).[6] **TLC**: R_f : 0.48 (4:1 heptanes/EtOAc). **IR** (thin film): 3113, 3080, 2917, 2844, 1600, 1520, 1340, 898 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 8.15 (dd, *J* = 7.9, 4.0 Hz, 1H), 8.06 (s, 1H), 7.89 (d, *J* = 8.2 Hz, 2H), 7.61 (dd, *J* = 8.0, 4.0 Hz, 1H), 7.49 (t, *J* = 8.0 Hz, 1H), 7.36 (d, *J* = 8.2 Hz, 2H), 3.85 (dd, *J* = 8.2, 4.4 Hz, 1H), 3.03 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.40 (d, *J* = 4.4 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 147.6, 145.2, 142.5, 132.8, 129.9, 129.8, 128.0, 127.9, 127.5, 127.4, 123.9, 123.8, 39.7, 36.5, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 319.1 ([M+H]$^+$, 100); (neg) 317.1 ([M–H]$^-$, 100). **HRMS** (ESI): [M+H]$^+$ Calculated for: $C_{15}H_{15}N_2O_4S^+$: 319.0747, found: 319.0751. Absolute difference (ppm): 1.25.



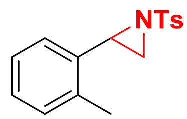
2-(4-nitrophenyl)-1-tosylaziridine (3s): Alkene **2s** (0.1 mmol) reacted under the general method to produce aziridine **3s** as a pale yellow solid (28 mg, 89%).[1] **TLC**: R_f: 0.44 (4:1 heptanes/EtOAc). **IR** (thin film): 3122, 3094, 2916, 1616, 1348, 1160, 897, 853 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 8.16 (d, *J* = 8.0 Hz, 2H), 7.88 (d, *J* = 8.0 Hz, 2H), 7.41 (d, *J* = 8.2 Hz, 2H), 7.36 (d, *J* = 8.2 Hz, 2H), 3.84 (dd, *J* = 8.2, 4.2 Hz, 1H), 3.05 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.37 (d, *J* = 4.2 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 147.9, 145.2, 142.5, 130.0, 129.9, 129.8, 128.0, 127.9, 127.5, 127.4, 123.9, 123.8, 39.7, 36.5, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 319.1 ($[M+H]^+$, 100); (neg) 317.1 ($[M–H]^–$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{15}H_{15}N_2O_4S^+$: 319.0747, found: 319.0757. Absolute difference (ppm): 1.44.



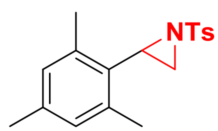
2-(4-methoxyphenyl)-1-tosylaziridine (3t): Alkene **2t** (0.1 mmol) reacted under the general method to produce aziridine **3t** as a white solid (29 mg, 95%).[1] **TLC**: R_f: 0.26 (4:1 heptanes/EtOAc). **IR** (thin film): 3005, 2926, 2844, 1602, 1497, 1313, 1245, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 7.10 (d, *J* = 8.2 Hz, 2H), 6.82 (d, *J* = 8.2 Hz, 2H), 3.85 (dd, *J* = 8.2, 4.8 Hz, 1H), 3.78 (s, 3H), 2.94 (d, *J* = 8.2 Hz, 1H), 2.44 (s, 3H), 2.33 (d, *J* = 4.8 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 159.6, 143.5, 136.9, 130.8, 129.9, 129.8, 127.8, 127.3, 127.2, 114.2, 64.2, 55.3, 49.5, 21.6 ppm. **ESI-MS** *m/z* (rel int): (pos) 304.1 ($[M+H]^+$, 100); (neg) 302.1 ($[M–H]^–$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{16}H_{18}NO_3S^+$: 304.1002, found: 304.1008. Absolute difference (ppm): 1.97.



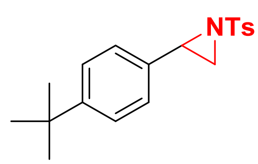
2-(p-tolyl)-1-tosylaziridine (3u): Alkene **2u** (0.1 mmol) reacted under the general method to produce aziridine **3u** as a white solid (27 mg, 93%).[1] **TLC**: R_f: 0.55 (4:1 heptanes/EtOAc). **IR** (thin film): 2920, 2853, 1588, 1316, 1153, 1088, 912, 817 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.0 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.10 (s, 4H), 3.74 (dd, *J* = 8.2, 4.6 Hz, 1H), 2.97 (d, *J* = 8.2 Hz, 1H), 2.42 (s, 3H), 2.37 (d, *J* = 4.6 Hz, 1H), 2.31 (s, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.6, 138.2, 135.1, 132.0, 129.8, 129.7, 129.3, 128.0, 127.9, 126.5, 126.4, 41.2, 35.9, 21.8, 21.3 ppm. **ESI-MS** *m/z* (rel int): (pos) 288.1 ($[M+H]^+$, 100); (neg) 286.1 ($[M–H]^–$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{16}H_{18}NO_2S^+$: 288.1053, found: 288.1055. Absolute difference (ppm): 0.69.



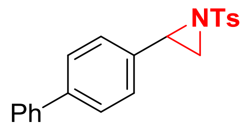
2-(o-tolyl)-1-tosylaziridine (3v): Alkene **2v** (0.1 mmol) reacted under the general method to produce aziridine **3v** as a white solid (24 mg, 84%).[1] **TLC**: R_f : 0.55 (4:1 heptanes/EtOAc). **IR** (thin film): 3053, 2916, 2844, 1564, 1462, 1322, 1160, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.90 (d, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.17-7.09 (m, 4H), 3.87 (dd, *J* = 8.2, 4.8 Hz, 1H), 2.98 (d, *J* = 8.2 Hz, 1H), 2.44 (s, 3H), 2.39 (s, 3H), 2.31 (d, *J* = 4.8 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.7, 136.8, 135.0, 133.3, 130.0, 129.8, 129.7, 128.1, 128.0, 126.1, 125.9, 39.5, 35.1, 21.7, 19.2 ppm. **ESI-MS** *m/z* (rel int): (pos) 288.1 ($[M+H]^+$, 100); (neg) 286.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{16}H_{18}NO_2S^+$: 288.1053, found: 288.1051. Absolute difference (ppm): 0.69.



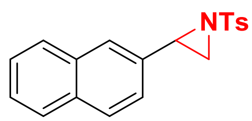
2-mesityl-1-tosylaziridine (3w): Alkene **2w** (0.1 mmol) reacted under the general method to produce aziridine **3w** as a clear solid (26 mg, 82%).[5] **TLC**: R_f : 0.56 (4:1 heptanes/EtOAc). **IR** (thin film): 3050, 2917, 2844, 1461, 1313, 1164, 907, 718 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.88 (d, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 6.78 (s, 2H), 3.85 (dd, *J* = 8.2, 4.0 Hz, 1H), 2.93 (d, *J* = 8.2 Hz, 1H), 2.45 (s, 3H), 2.30 (s, 6H), 2.24 (s, 3H), 2.15 (d, *J* = 4.0 Hz, 1H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.7, 137.5, 137.4, 135.1, 129.7, 129.2, 128.4, 128.3, 128.2, 39.5, 35.5, 21.7, 20.8, 20.2, 20.1 ppm. **ESI-MS** *m/z* (rel int): (pos) 316.1 ($[M+H]^+$, 100); (neg) 314.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{18}H_{22}NO_2S^+$: 316.1366, found: 316.1373. Absolute difference (ppm): 2.21.



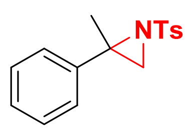
2-(4-(tert-butyl)phenyl)-1-tosylaziridine (3x): Alkene **2x** (0.1 mmol) reacted under the general method to produce aziridine **3x** as a white solid (30 mg, 91%).[8] **TLC**: R_f : 0.57 (4:1 heptanes/EtOAc). **IR** (thin film): 3058, 2916, 1596, 1460, 1160, 907, 772, 714 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.87 (d, *J* = 8.0 Hz, 2H), 7.32 (t, *J* = 8.0 Hz, 4H), 7.15 (d, *J* = 8.0 Hz, 2H), 3.76 (dd, *J* = 8.0, 4.4 Hz, 1H), 2.96 (d, *J* = 8.0 Hz, 1H), 2.42 (s, 3H), 2.39 (d, *J* = 4.4 Hz, 1H), 1.28 (s, 9H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 151.5, 144.6, 135.1, 132.0, 129.8, 129.7, 128.0, 126.3, 125.5, 41.0, 35.8, 34.6, 21.3, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 330.2 ($[M+H]^+$, 100); (neg) 328.2 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{19}H_{24}NO_2S^+$: 330.1522, found: 330.1526. Absolute difference (ppm): 1.21.



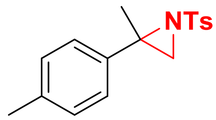
2-([1,1'-biphenyl]-4-yl)-1-tosylaziridine (3y): Alkene **2y** (0.1 mmol) reacted under the general method to produce aziridine **3y** as a thick clear oil (29 mg, 84%).[8] **TLC**: R_f : 0.55 (4:1 heptanes/EtOAc). **IR** (thin film): 3085, 2944, 1600, 1450, 1340, 1160, 790, 718 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.87 (d, J = 8.0 Hz, 2H), 7.55-7.51 (m, 4H), 7.44-7.42 (m, 2H), 7.36-7.33 (m, 3H), 7.27 (d, J = 8.0 Hz, 2H), 3.83 (dd, J = 8.2, 4.2 Hz, 1H), 3.01 (d, J = 8.2 Hz, 1H), 2.44-2.42 (m, 4H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 155.0, 144.7, 144.6, 141.4, 141.3, 140.5, 135.0, 134.1, 129.8, 129.7, 128.8, 128.7, 128.0, 127.9, 127.5, 127.3, 127.2, 127.1, 127.0, 126.9, 40.9, 36.0, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 350.1 ($[M+H]^+$, 100); (neg) 348.1 ($[M–H]^–$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{21}H_{20}NO_2S^+$: 350.1209, found: 350.1216. Absolute difference (ppm): 1.99.



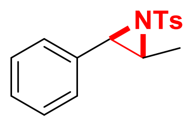
2-(naphthalen-2-yl)-1-tosylaziridine (3z): Alkene **2z** (0.1 mmol) reacted under the general method to produce aziridine **3z** as a white solid (26 mg, 82%).[1] **TLC**: R_f : 0.55 (4:1 heptanes/EtOAc). **IR** (thin film): 3090, 2985, 1641, 1348, 1157, 1094, 927 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.89 (d, J = 8.0 Hz, 2H), 7.79-7.71 (m, 4H), 7.48-7.44 (m, 2H), 7.33-7.25 (m, 3H), 3.92 (dd, J = 8.2, 4.2 Hz, 1H), 3.05 (d, J = 8.0 Hz, 1H), 2.48 (d, J = 4.2 Hz, 1H), 2.42 (s, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.7, 135.1, 133.2, 133.0, 132.5, 129.8, 129.7, 128.5, 128.0, 127.8, 127.7, 127.6, 126.5, 126.3, 126.2, 123.7, 41.3, 36.0, 21.7 ppm. **ESI-MS** *m/z* (rel int): (pos) 324.1 ($[M+H]^+$, 100); (neg) 322.1 ($[M–H]^–$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{19}H_{18}NO_2S^+$: 324.1053, found: 324.1058. Absolute difference (ppm): 1.54.



2-methyl-2-phenyl-1-tosylaziridine (3aa): Alkene **2aa** (0.1 mmol) reacted under the general method to produce aziridine **3aa** as an oil (23 mg, 79%).[9] **TLC**: R_f : 0.53 (4:1 heptanes/EtOAc). **IR** (thin film): 3023, 2932, 1600, 1578, 1223, 1160, 925, 710 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.85 (d, J = 8.0 Hz, 2H), 7.32-7.24 (m, 5H), 7.23 (d, J = 8.0 Hz, 2H), 3.96 (d, J = 11.4 Hz, 1H), 3.74 (d, J = 11.4 Hz, 1H), 2.41 (s, 3H), 1.70 (s, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 144.6, 142.1, 139.7, 130.2, 129.4, 129.3, 129.1, 127.1, 127.0, 126.9, 126.1, 126.0, 52.1, 42.2, 21.9, 21.5 ppm. **ESI-MS** *m/z* (rel int): (pos) 288.1 ($[M+H]^+$, 100); (neg) 286.1 ($[M–H]^–$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{16}H_{18}NO_2S^+$: 288.1053, found: 288.1059 . Absolute difference (ppm): 2.08.



2-methyl-2-(p-tolyl)-1-tosylaziridine (3ab): Alkene **2ab** (0.1 mmol) reacted under the general method to produce aziridine **3ab** as an oil (23 mg, 78%).[8] **TLC**: R_f : 0.53 (4:1 heptanes/EtOAc). **IR** (thin film): 3050, 2927, 2860, 1608, 1223, 1160, 1106, 925 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.59 (d, J = 8.0 Hz, 2H), 7.18 (d, J = 8.0 Hz, 4H), 7.04 (d, J = 8.0 Hz, 2H), 3.96 (d, J = 11.2 Hz, 1H), 3.74 (d, J = 11.2 Hz, 1H), 2.41 (s, 3H), 2.31 (s, 3H), 1.67 (s, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 148.7, 144.6, 143.1, 139.5, 137.9, 137.7, 129.4, 129.3, 129.1, 127.1, 127.0, 126.9, 126.1, 126.0, 61.3, 43.4, 24.7, 21.5, 20.9 ppm. **ESI-MS** *m/z* (rel int): (pos) 302.1 ($[M+H]^+$, 100); (neg) 300.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{17}H_{20}NO_2S^+$: 302.1209, found: 302.1213. Absolute difference (ppm): 1.32.



Trans-2-methyl-3-phenyl-1-tosylaziridine (3ac): Alkene **2ac** (0.1 mmol) reacted under the general method to produce aziridine **3ac** as a yellow oil (18 mg, 64%).[1] **TLC**: R_f : 0.53 (4:1 heptanes/EtOAc).[10] **IR** (thin film): 3002, 2928, 1591, 1421, 1304, 1152, 1096, 781 cm^{-1}. **^{1}H-NMR** (400 MHz, $CDCl_3$): δ 7.83 (d, J = 8.2 Hz, 2H), 7.28-7.23 (m, 5H), 7.16-7.14 (m, 2H), 3.78 (d, J = 6.2 Hz, 1H), 2.91 (dq, J = 6.2, 7.1 Hz, 1H), 2.39 (s, 3H), 1.85 (d, J = 7.1 Hz, 3H). **^{13}C-NMR** (100 MHz, $CDCl_3$): δ 143.9, 137.9, 135.5, 129.6 (2C), 128.6 (2C), 128.0 (2C), 127.2, 126.3, 49.2, 49.1, 21.5, 14.1 ppm. **ESI-MS** *m/z* (rel int): (pos) 288.1 ($[M+H]^+$, 100); (neg) 286.1 ($[M-H]^-$, 100). **HRMS** (ESI): $[M+H]^+$ Calculated for: $C_{16}H_{18}NO_2S^+$: 288.10582, found: 288.10588. Absolute difference (ppm): 0.22.

References:

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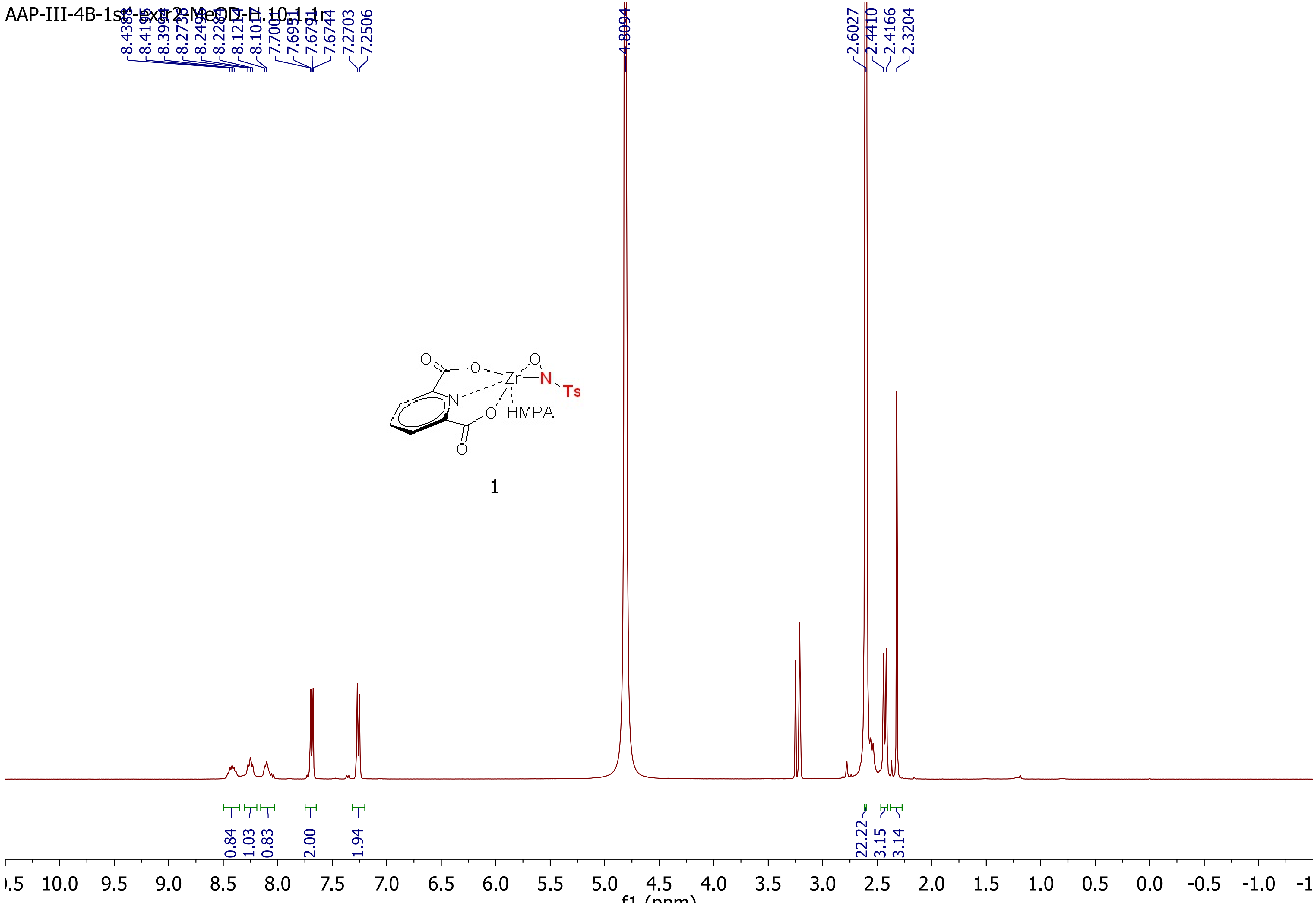
E. ^{1}H-NMR AND ^{13}C-NMR SPECTRA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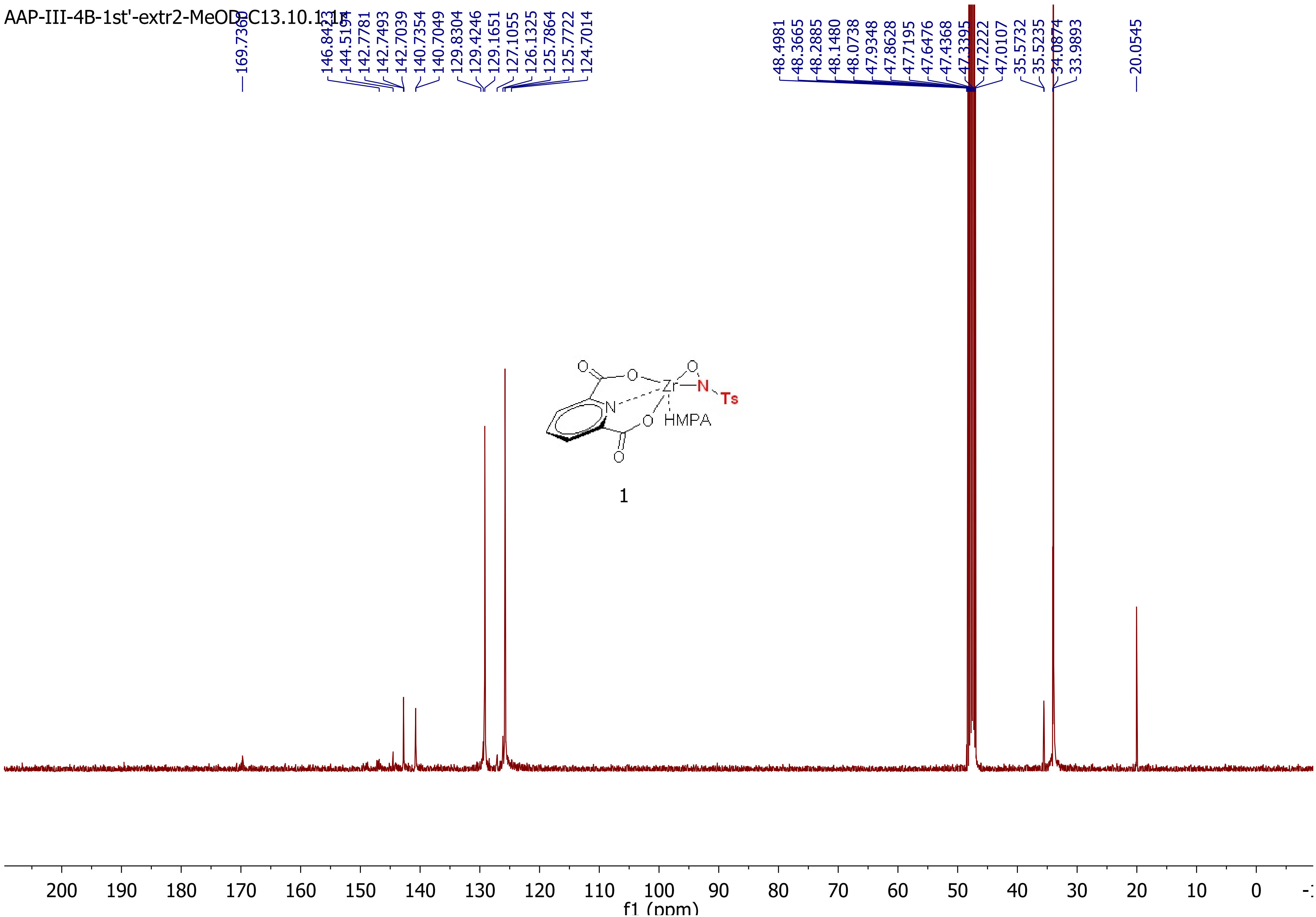
7.6744
7.2703
7.2506
4.8094
2.6027
2.4410
2.4166
2.3204
0.84
1.03
0.83
2.00
1.94
22.22
3.15
3.14
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
f1 (ppm)
Zr
N
Ts
HMPA
1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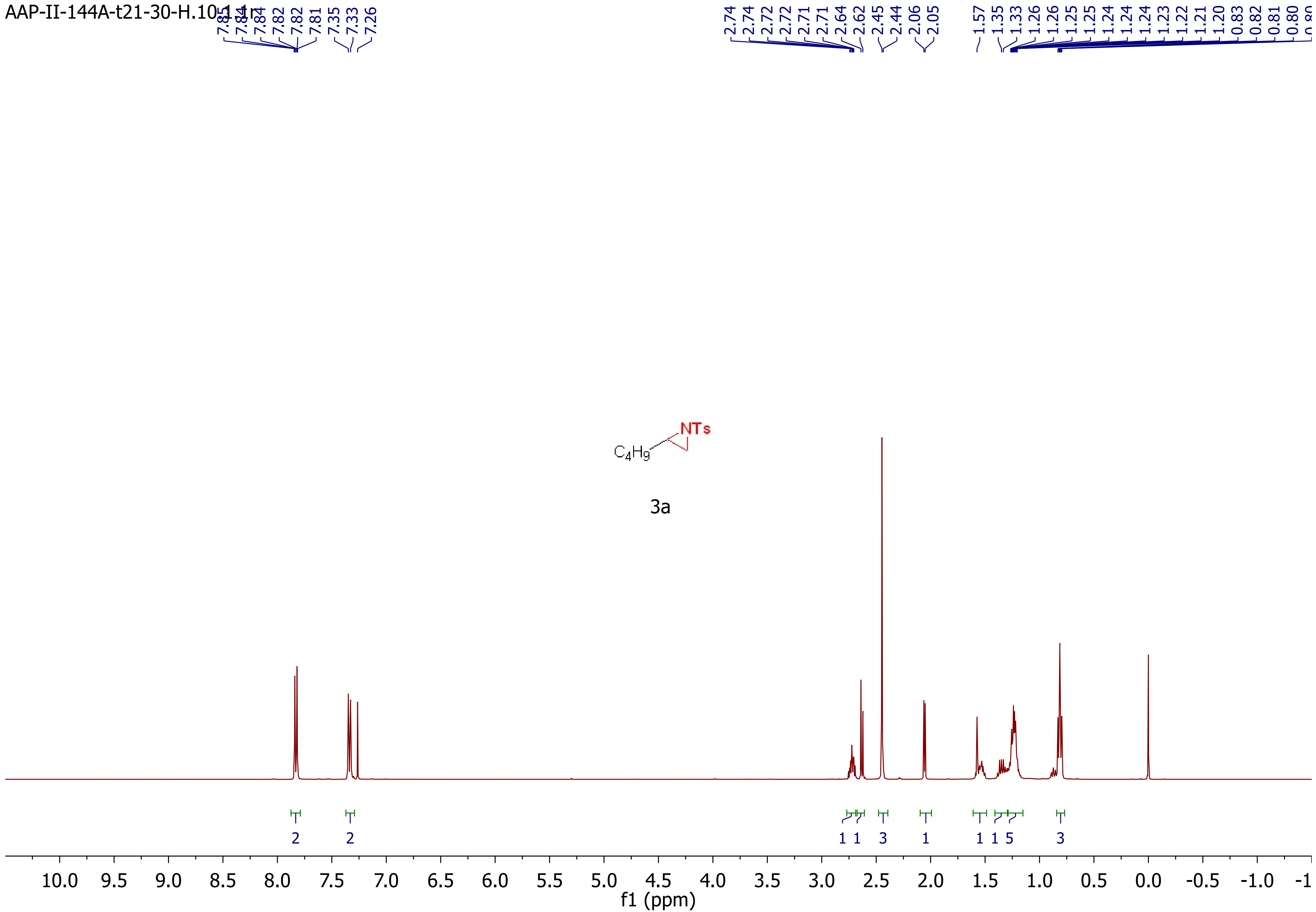
146.8423
144.5194
142.7781
142.7493
142.7039
140.7354
140.7049
129.8304
129.4246
129.1651
127.1055
126.1325
125.7864
125.7722
124.7014
48.4981
48.3665
48.2885
48.1480
48.0738
47.9348
47.8628
47.7195
47.6476
47.4368
47.3395
47.2222
47.0107
35.5732
35.5235
34.0874
33.9893
20.0545
O
O
Zr
O
N
Ts
N
O
HMPA
O
1
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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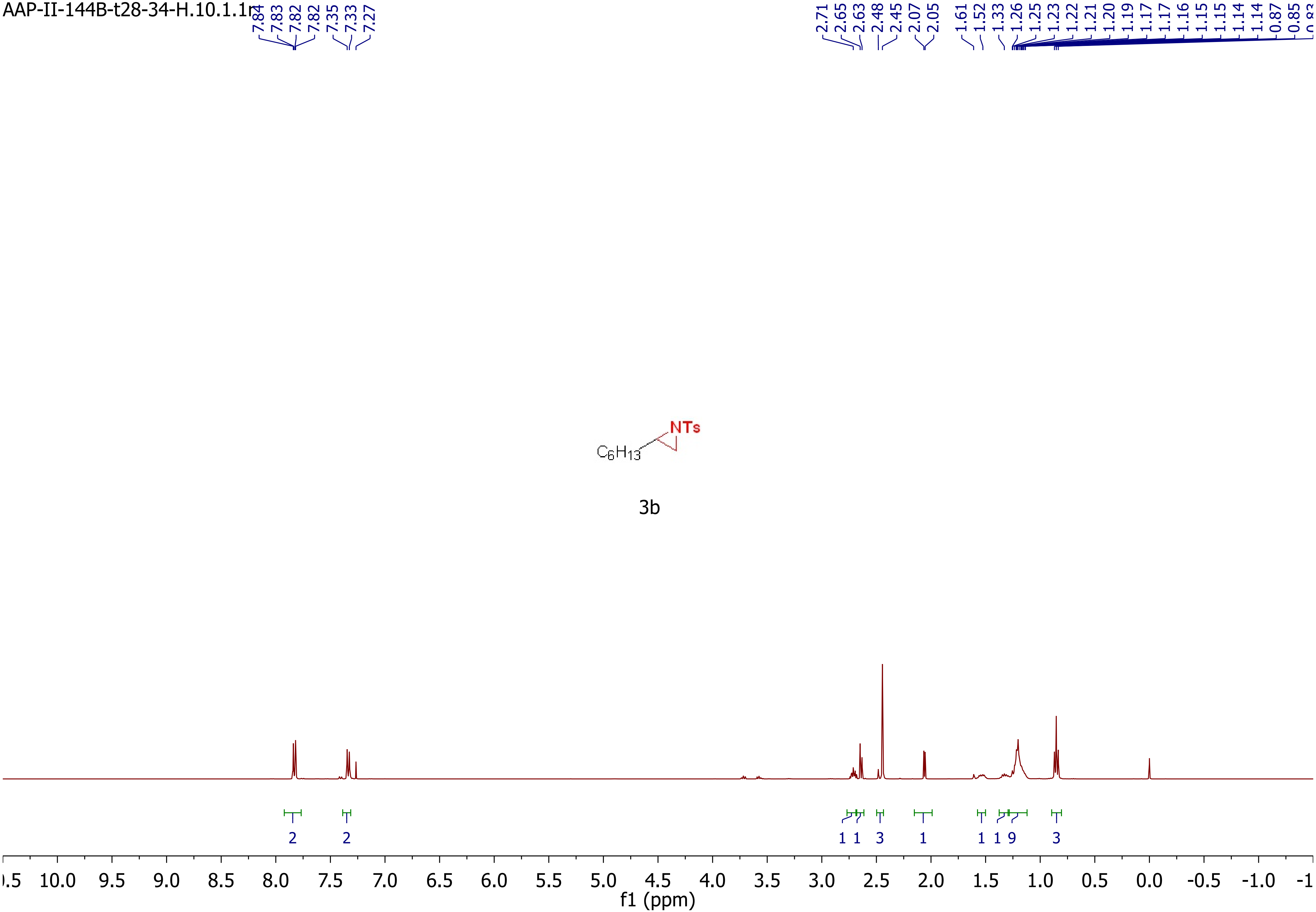
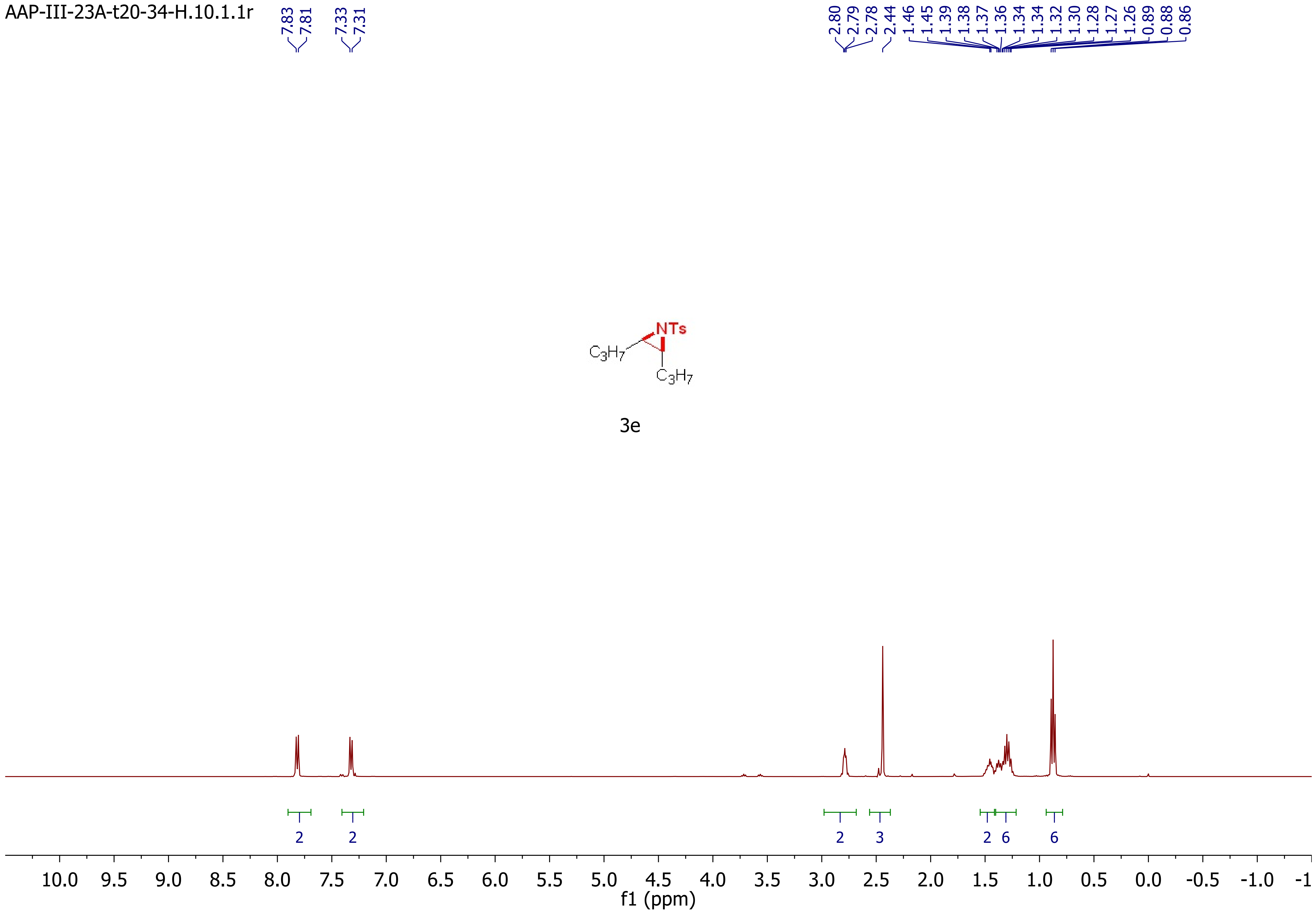
2.74 2.74 2.72 2.72 2.71 2.71 2.64 2.62 2.45 2.44 2.06 2.05
1.57 1.35 1.33 1.26 1.26 1.25 1.25 1.24 1.24 1.24 1.23 1.22 1.21 1.20 0.83 0.82 0.81 0.80
NTs
C4H9
3a
2 2 1 1 3 1 1 1 5 3
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1
f1 (ppm)

AAP-II-144B-t28-34-H.10.1.1r



3b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2.78
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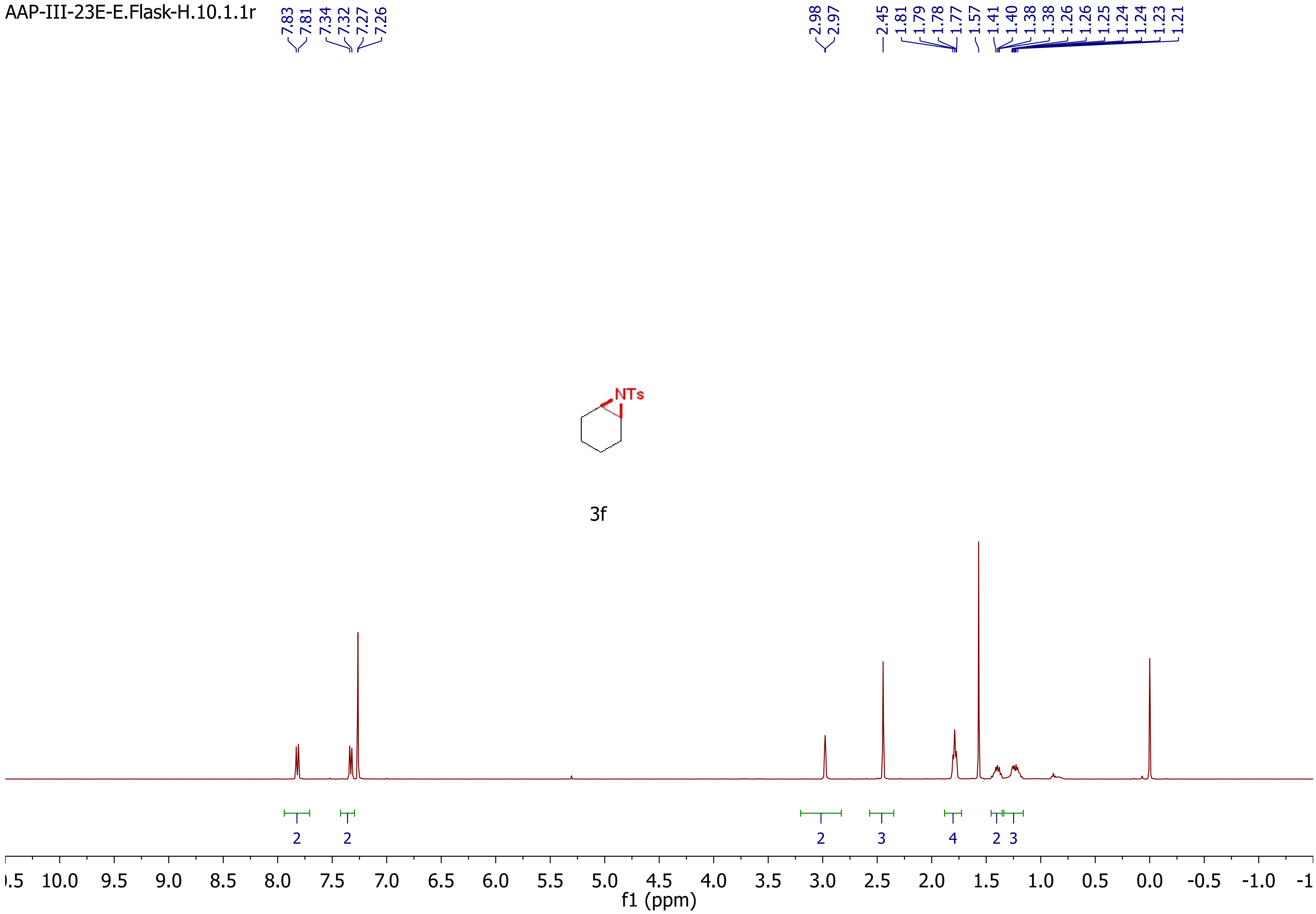
1.45
1.39
1.38
1.37
1.36
1.34
1.34
1.32
1.30
1.28
1.27
1.26
0.89
0.88
0.86
NTs
C3H7
C3H7
3e
2
2
2
3
2
6
6
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
-1
f1 (ppm)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1.41
1.40
1.38
1.38
1.26
1.26
1.25
1.24
1.24
1.23
1.21
NTs
3f
2
2
2
3
4
2
3
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
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0.0
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f1 (ppm)

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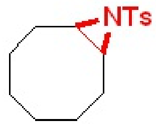
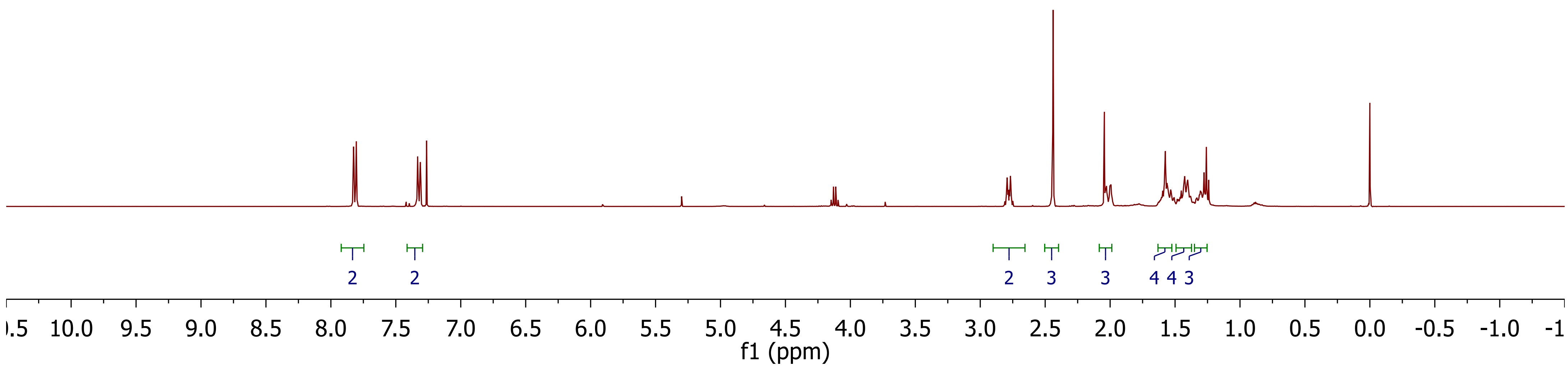
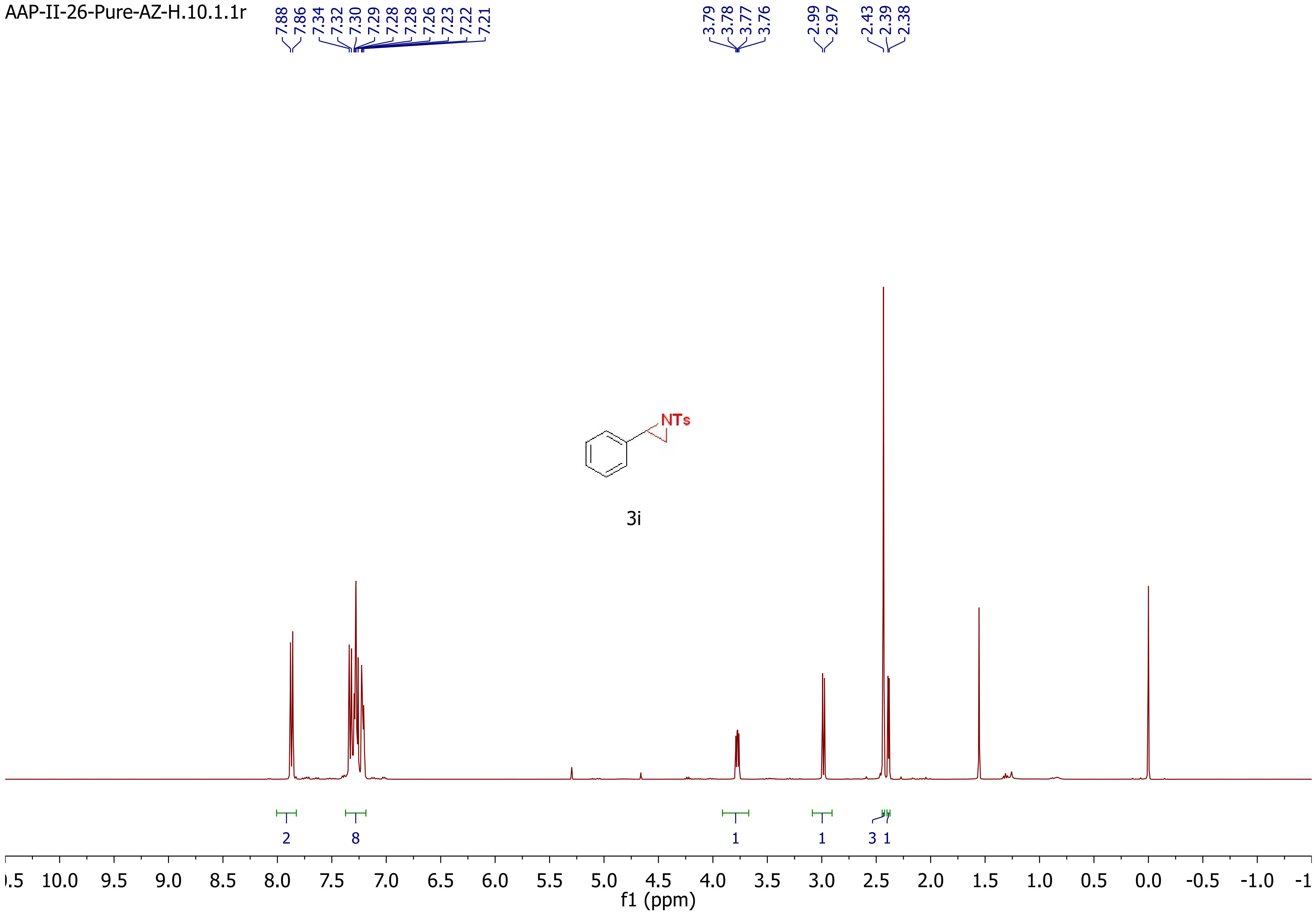


3h




AAP-II-26-Pure-AZ-H.10.1.1r
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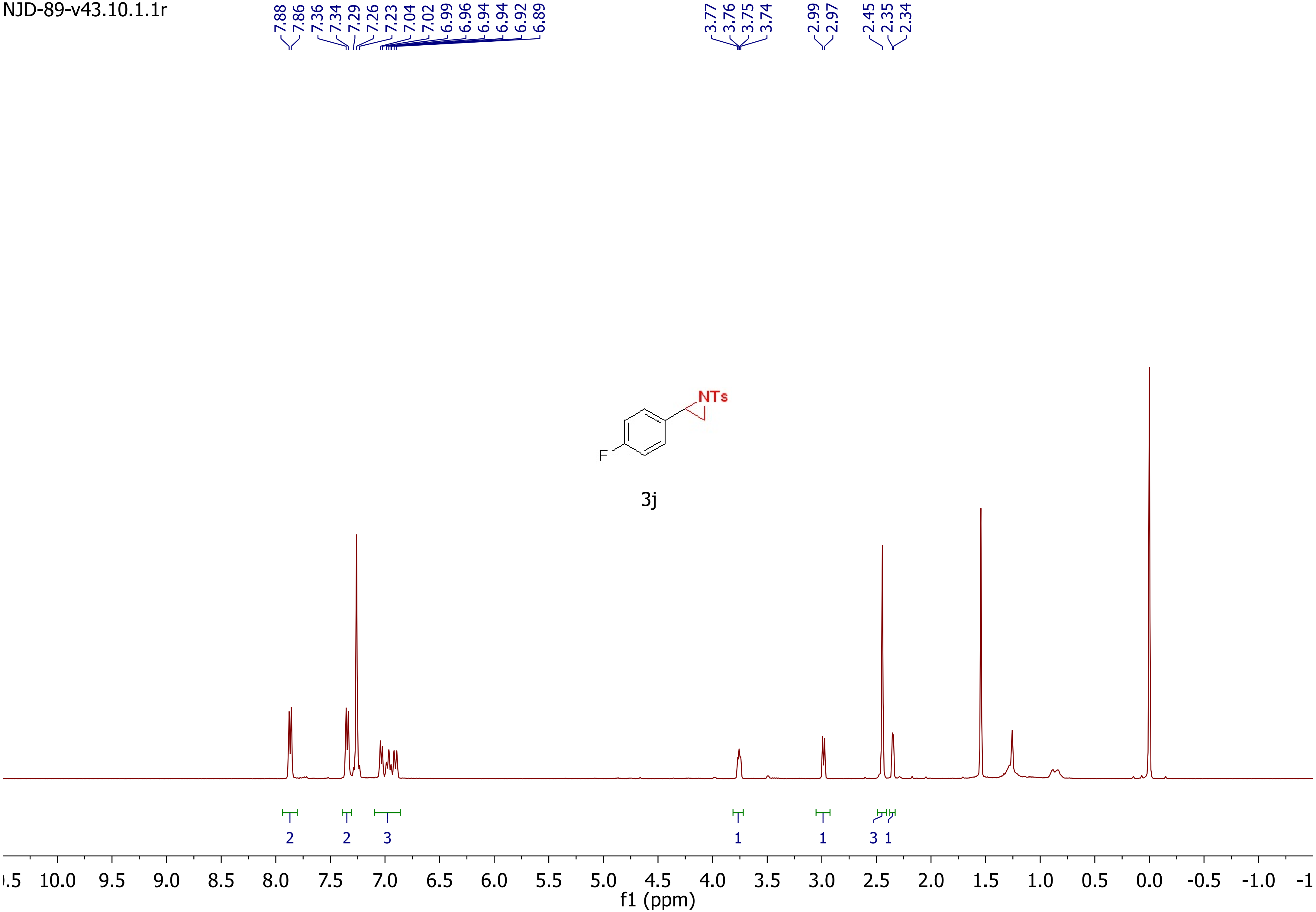
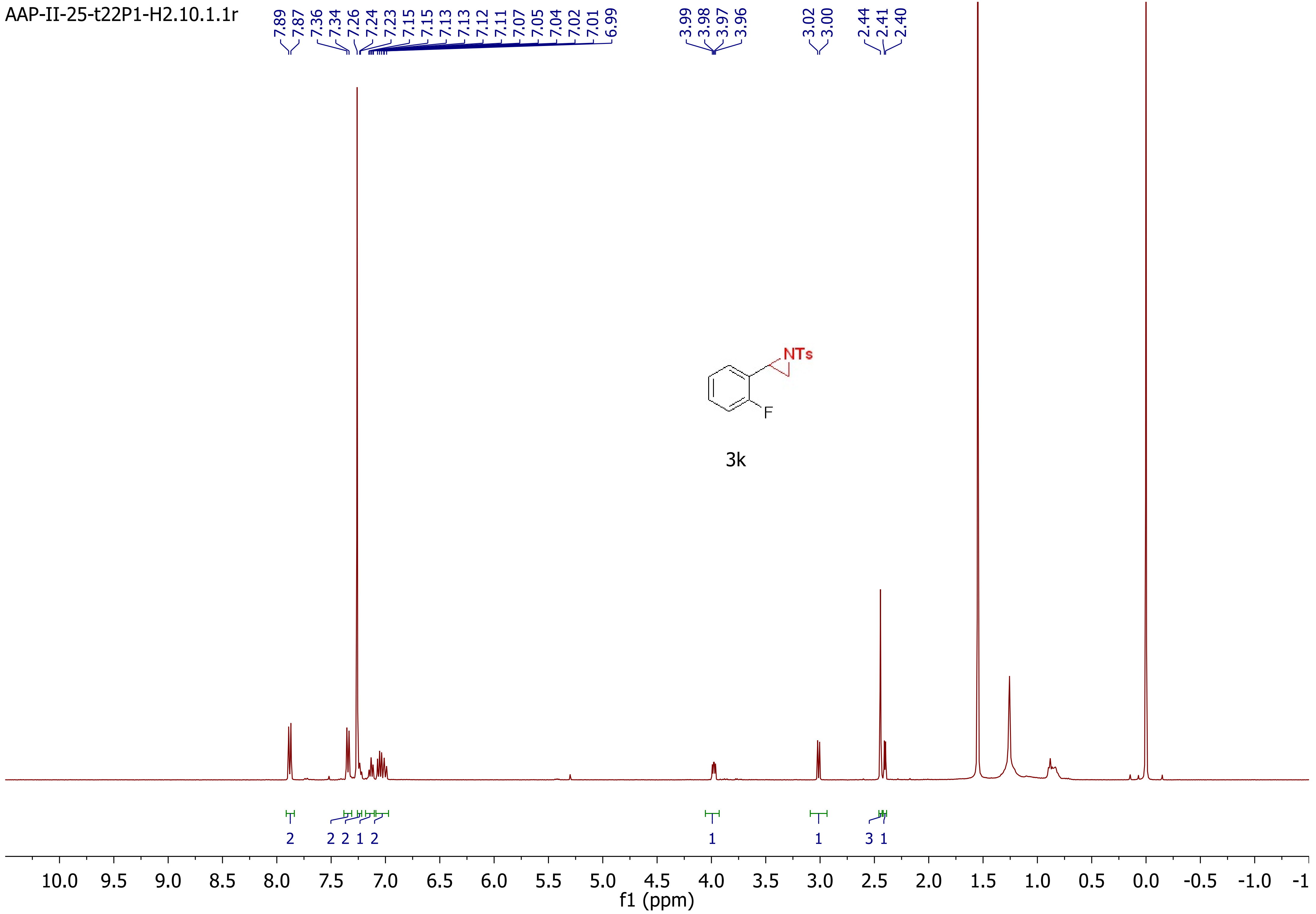
7.32
7.30
7.29
7.28
7.28
7.26
7.23
7.22
7.21
3.79
3.78
3.77
3.76
2.99
2.97
2.43
2.39
2.38
NTs
3i
2
8
1
1
3
1
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
f1 (ppm)

NJD-89-v43.10.1.1r



3j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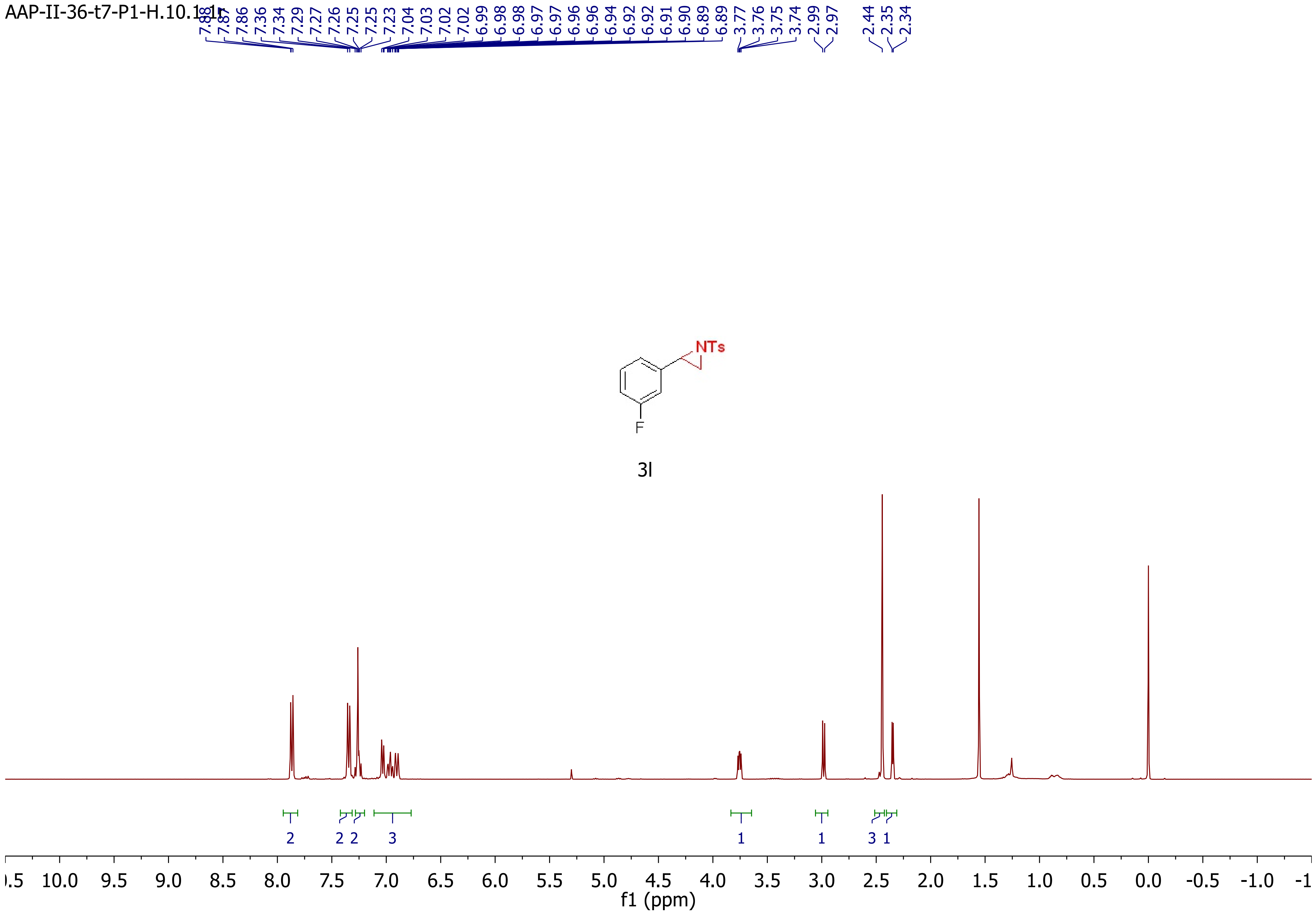
7.89 7.87 7.36 7.34 7.26 7.24 7.23 7.15 7.15 7.13 7.13 7.12 7.11 7.07 7.05 7.04 7.02 7.01 6.99
3.99 3.98 3.97 3.96
3.02 3.00
2.44 2.41 2.40
NTs
F
3k
2 2 2 1 2
1
1
3 1
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1
f1 (ppm)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7.29
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7.23
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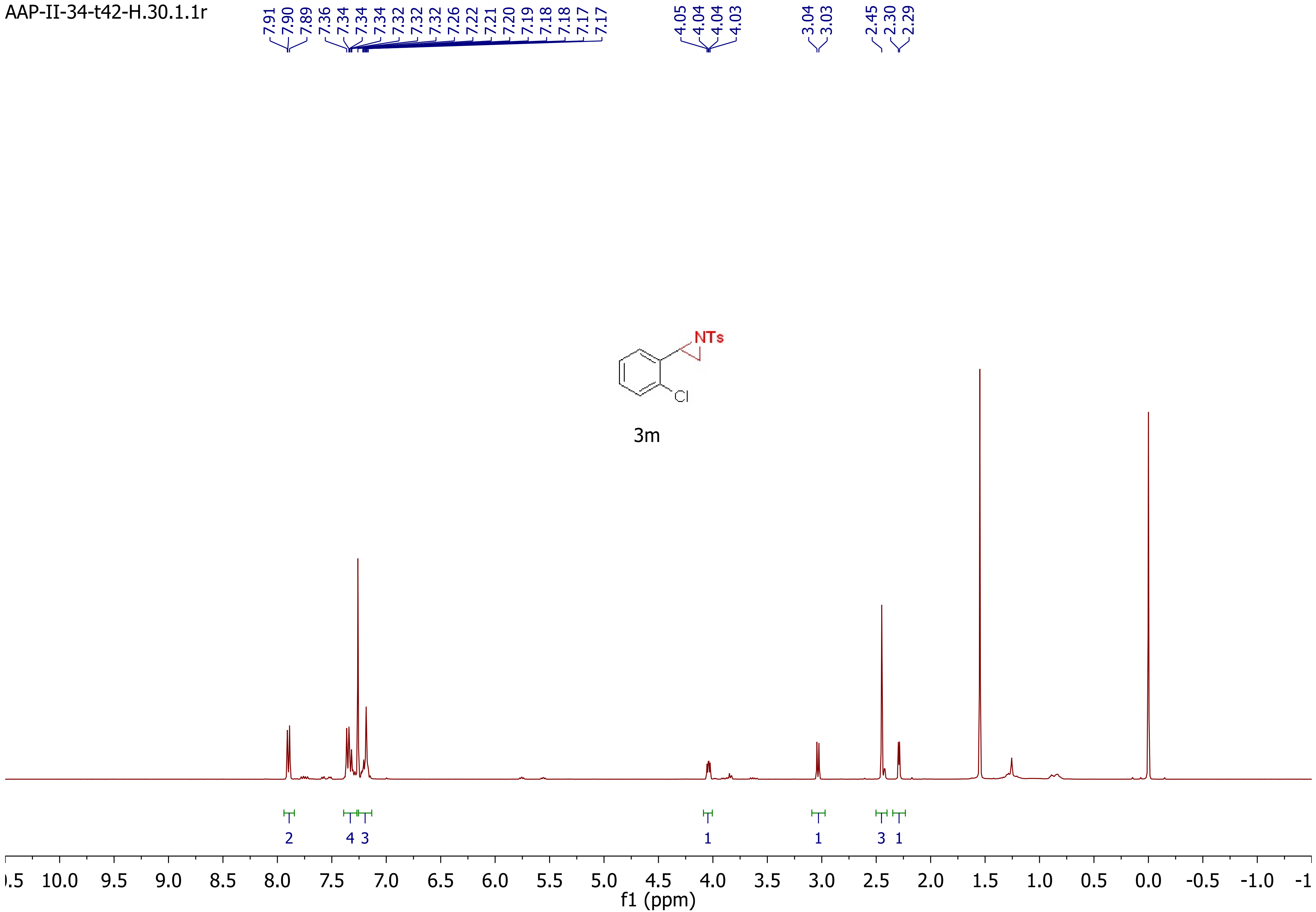
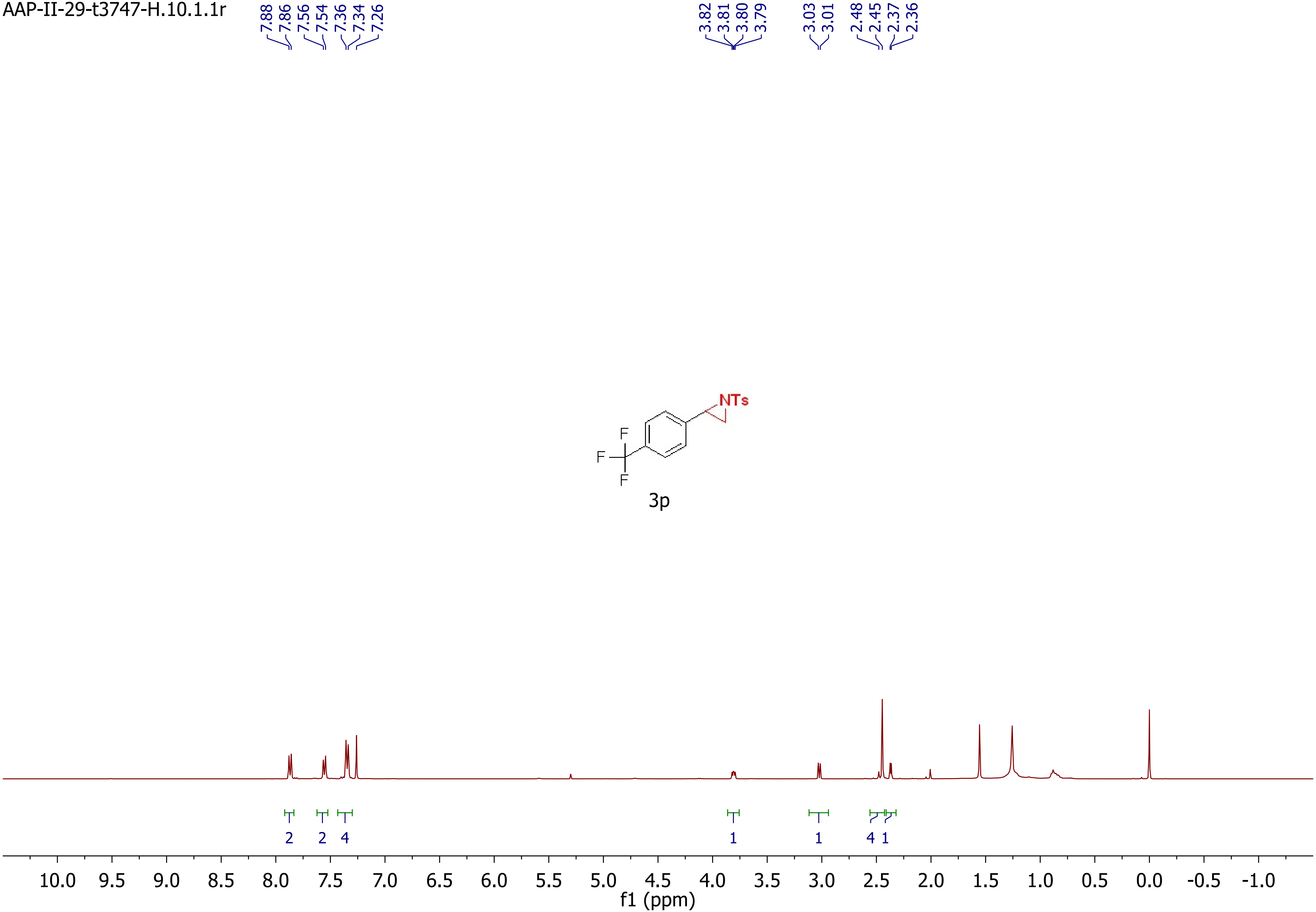
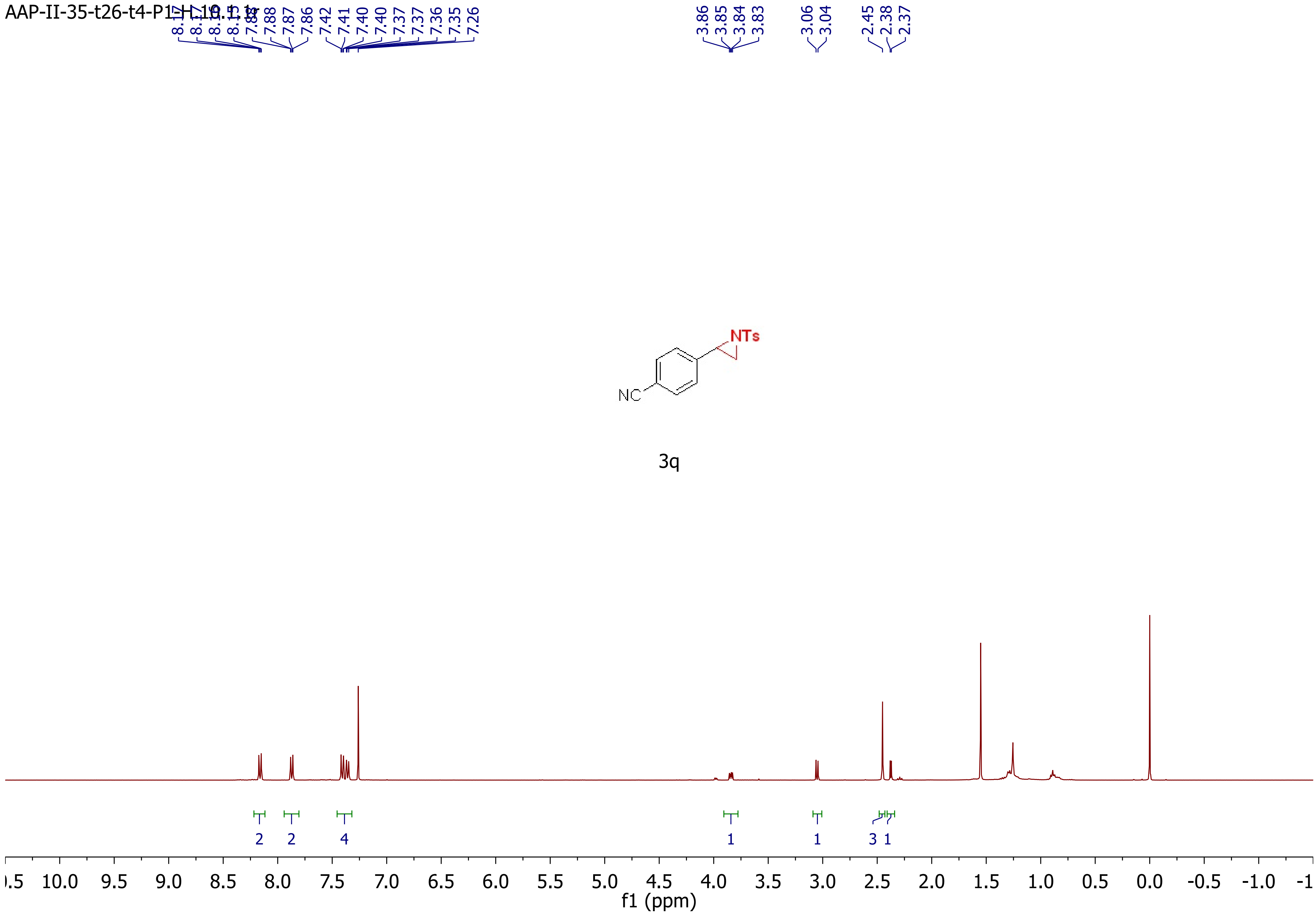
7.02
7.02
6.99
6.98
6.98
6.97
6.97
6.96
6.96
6.94
6.92
6.92
6.91
6.90
6.89
6.89
3.77
3.76
3.75
3.74
2.99
2.97
2.44
2.35
2.34
NTs
F
3l
2
2 2
3
1
1
3 1
f1 (ppm)

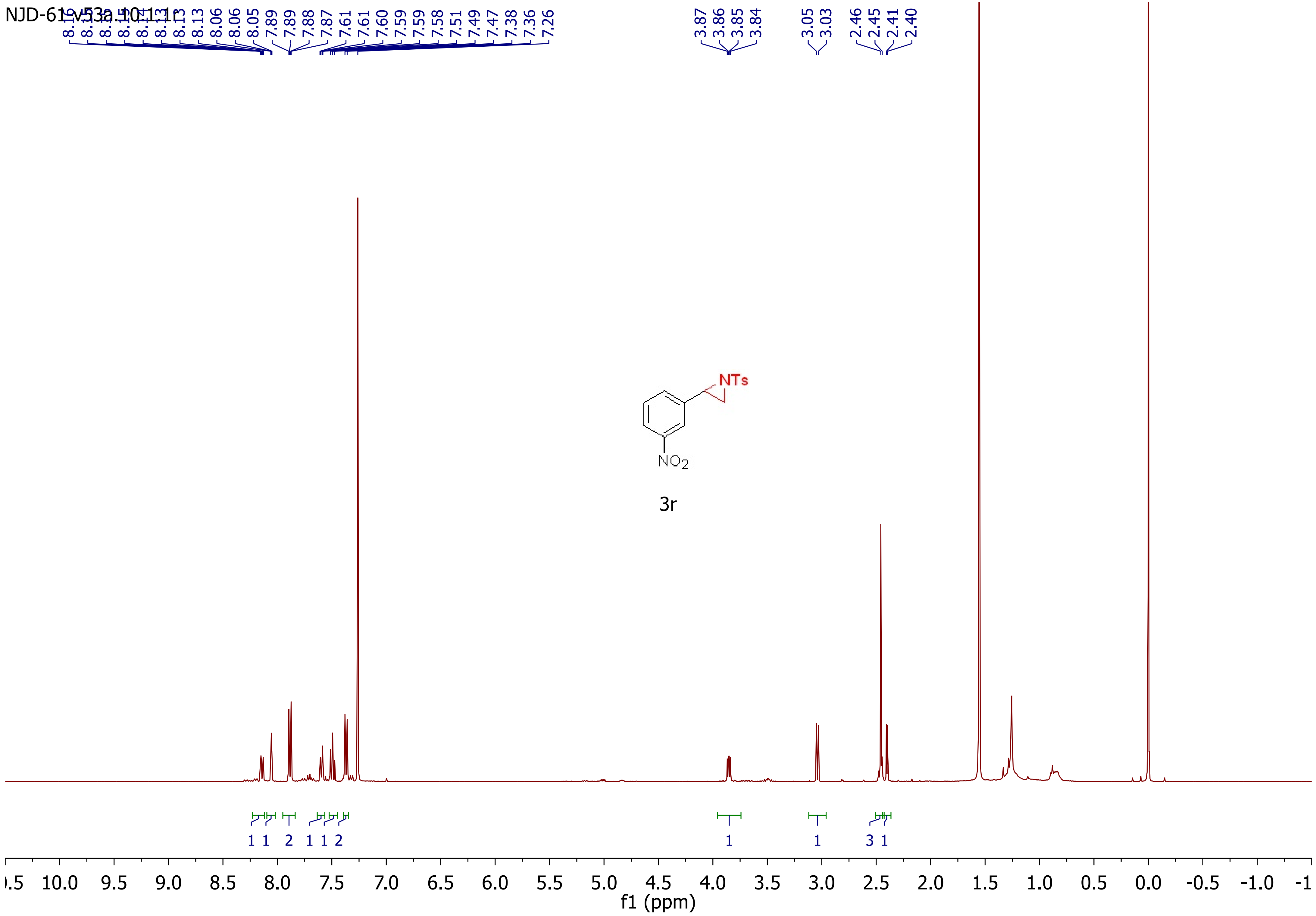

AAP-II-34-t42-H.30.1.1r
7.91
7.90
7.89
7.36
7.34
7.34
7.34
7.32
7.32
7.32
7.26
7.22
7.21
7.20
7.19
7.18
7.18
7.17
7.17
4.05
4.04
4.04
4.03
3.04
3.03
2.45
2.30
2.29
NTs
Cl
3m
2
4
3
1
1
3
1
.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
-1
f1 (ppm)

AAP-II-29-t3747-H.10.1.1r

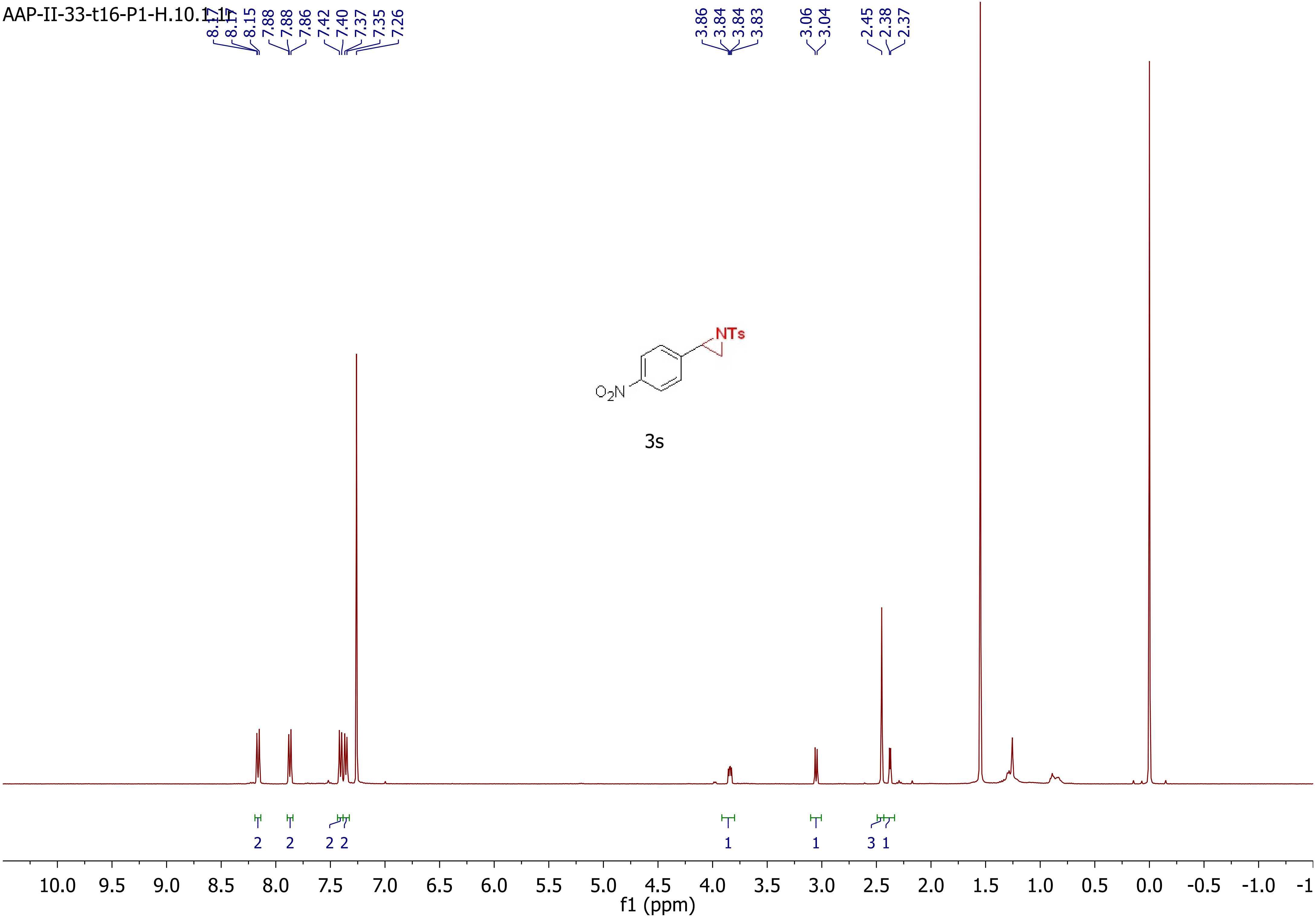


3p

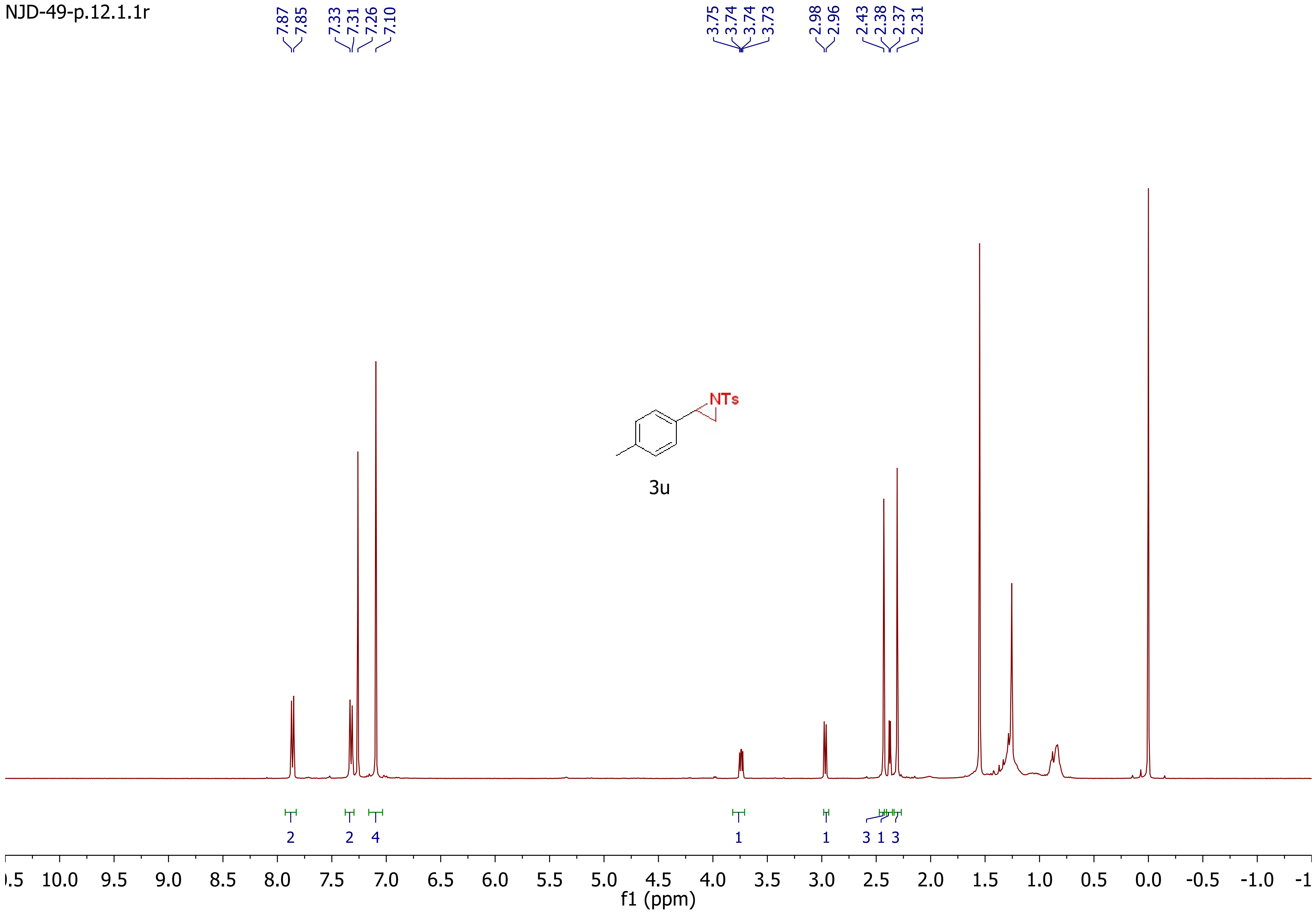

AAP-II-35-t26-t4-P1-H.10.1.fid
8.17
8.15
8.15
8.13
7.88
7.88
7.87
7.86
7.42
7.41
7.40
7.40
7.37
7.37
7.36
7.35
7.26
3.86
3.85
3.84
3.83
3.06
3.04
2.45
2.38
2.37
NTs
NC
3q
2
2
4
1
1
3
1
f1 (ppm)

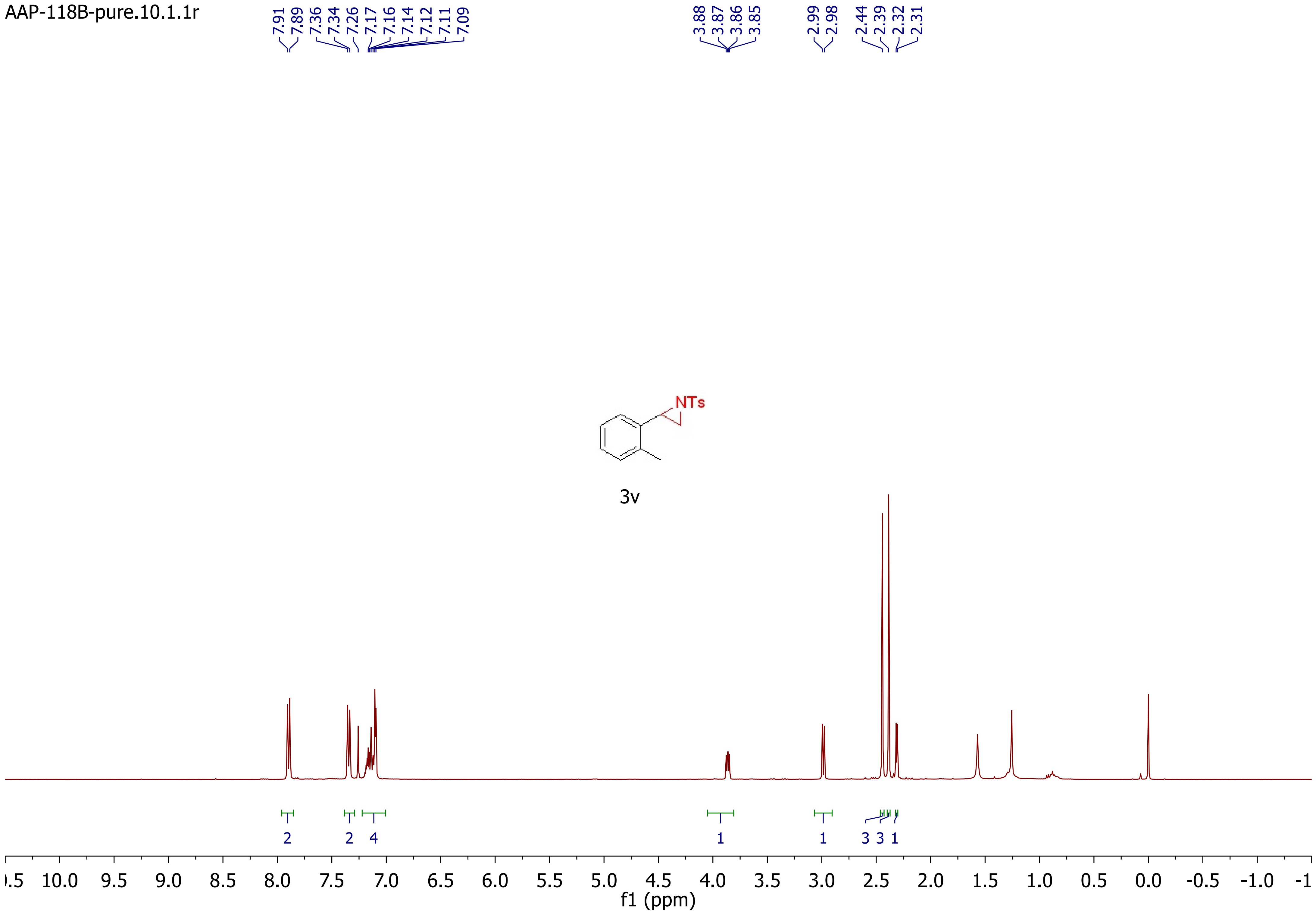

NJD-61-v53a.10.1.1r
8.13
8.06
8.06
8.05
7.89
7.89
7.88
7.87
7.61
7.61
7.60
7.59
7.59
7.58
7.51
7.49
7.47
7.38
7.36
7.26
3.87
3.86
3.85
3.84
3.05
3.03
2.46
2.45
2.41
2.40
NTs
NO2
3r
1 1 2 1 1 2
1
1
3 1
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

AAP-II-33-t16-P1-H.10.1.1



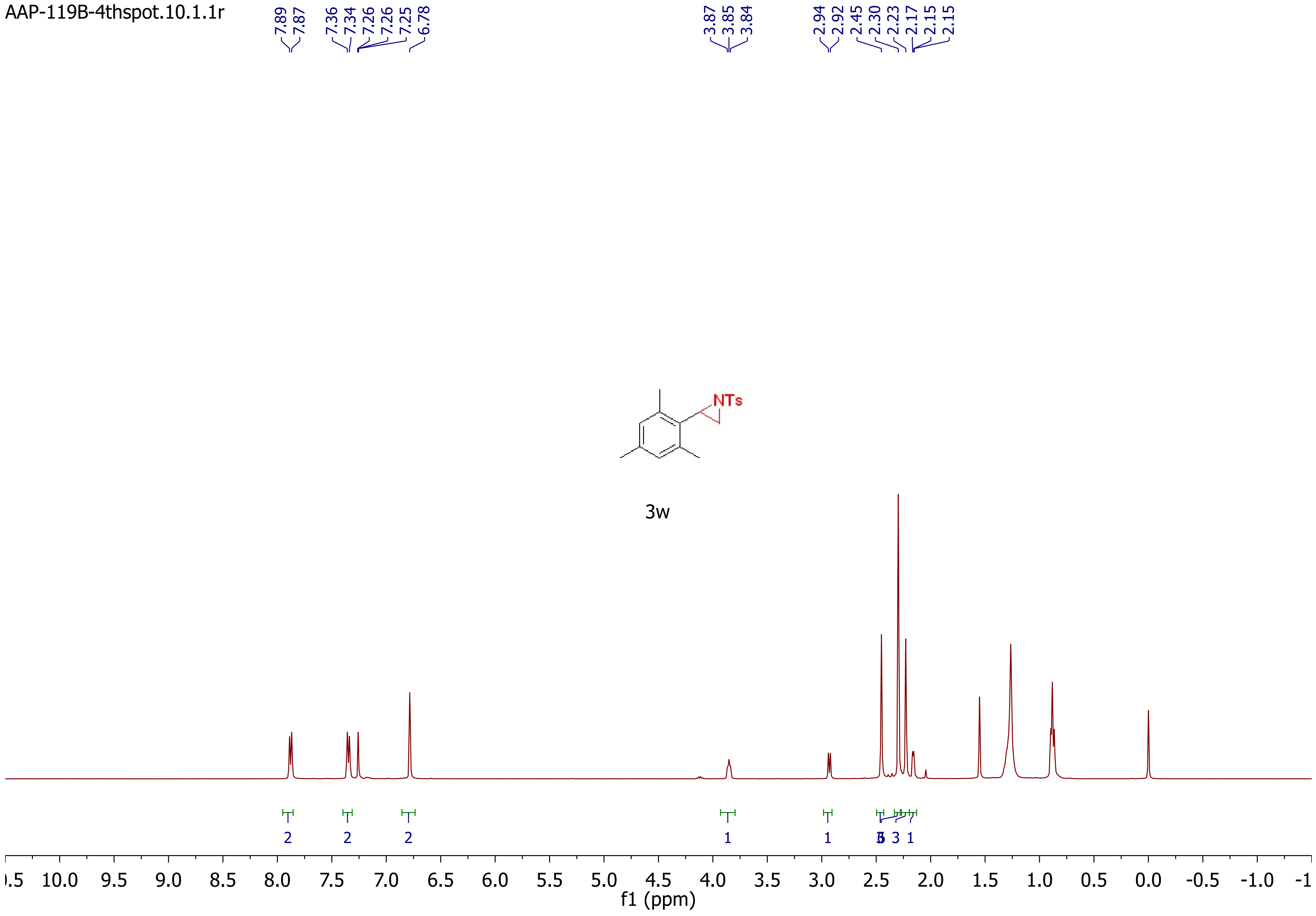
3s


NJD-49-p.12.1.1r
7.87
7.85
7.33
7.31
7.26
7.10
3.75
3.74
3.74
3.73
2.98
2.96
2.43
2.38
2.37
2.31
NTs
3u
2
2
4
1
1
3
1
3
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
0.0
-0.5
-1.0
f1 (ppm)

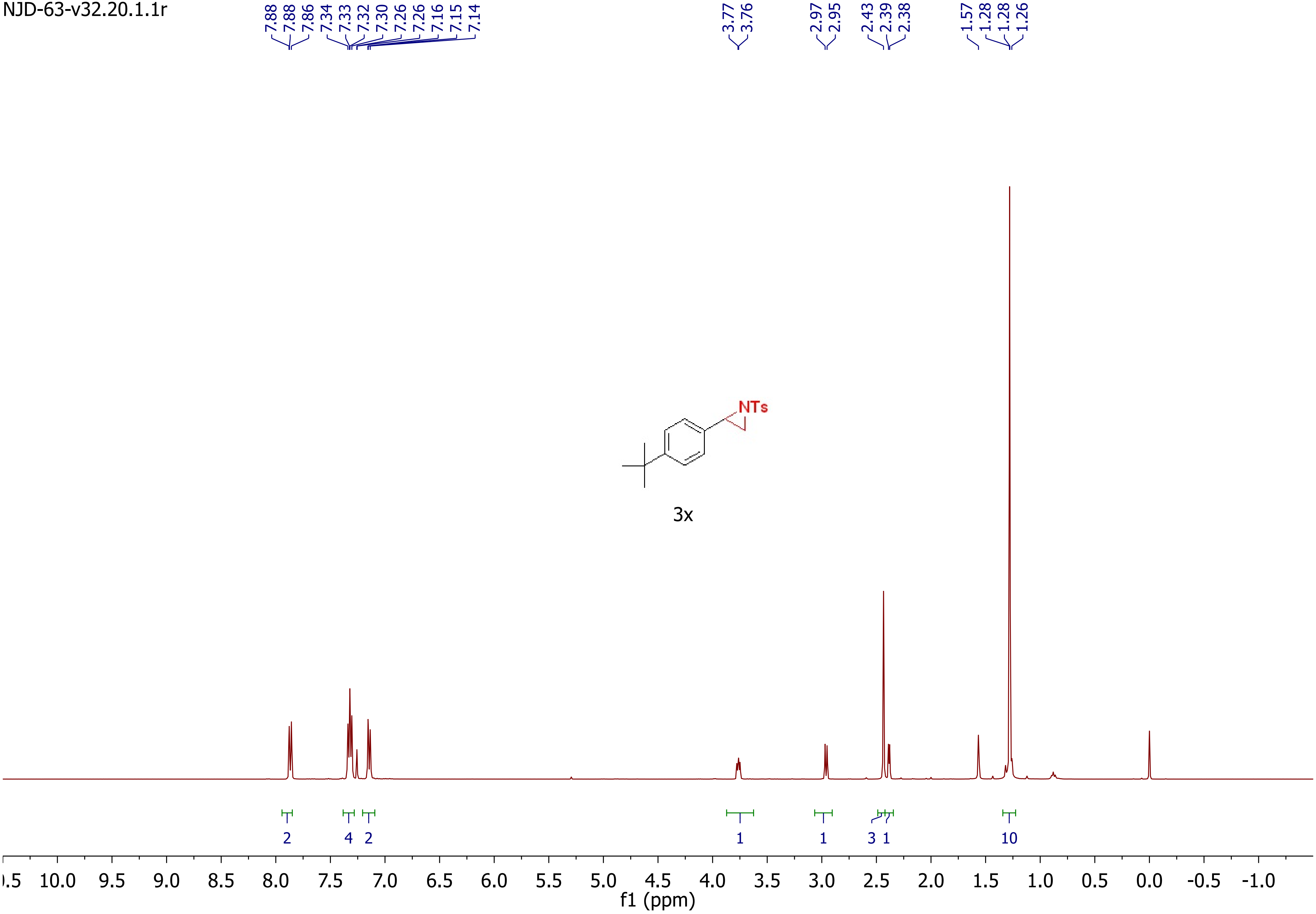

AAP-118B-pure.10.1.1r
7.91
7.89
7.36
7.34
7.26
7.17
7.16
7.14
7.12
7.11
7.09
3.88
3.87
3.86
3.85
2.99
2.98
2.44
2.39
2.32
2.31
NTs
2
2
4
1
1
3
3
1
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
f1 (ppm)

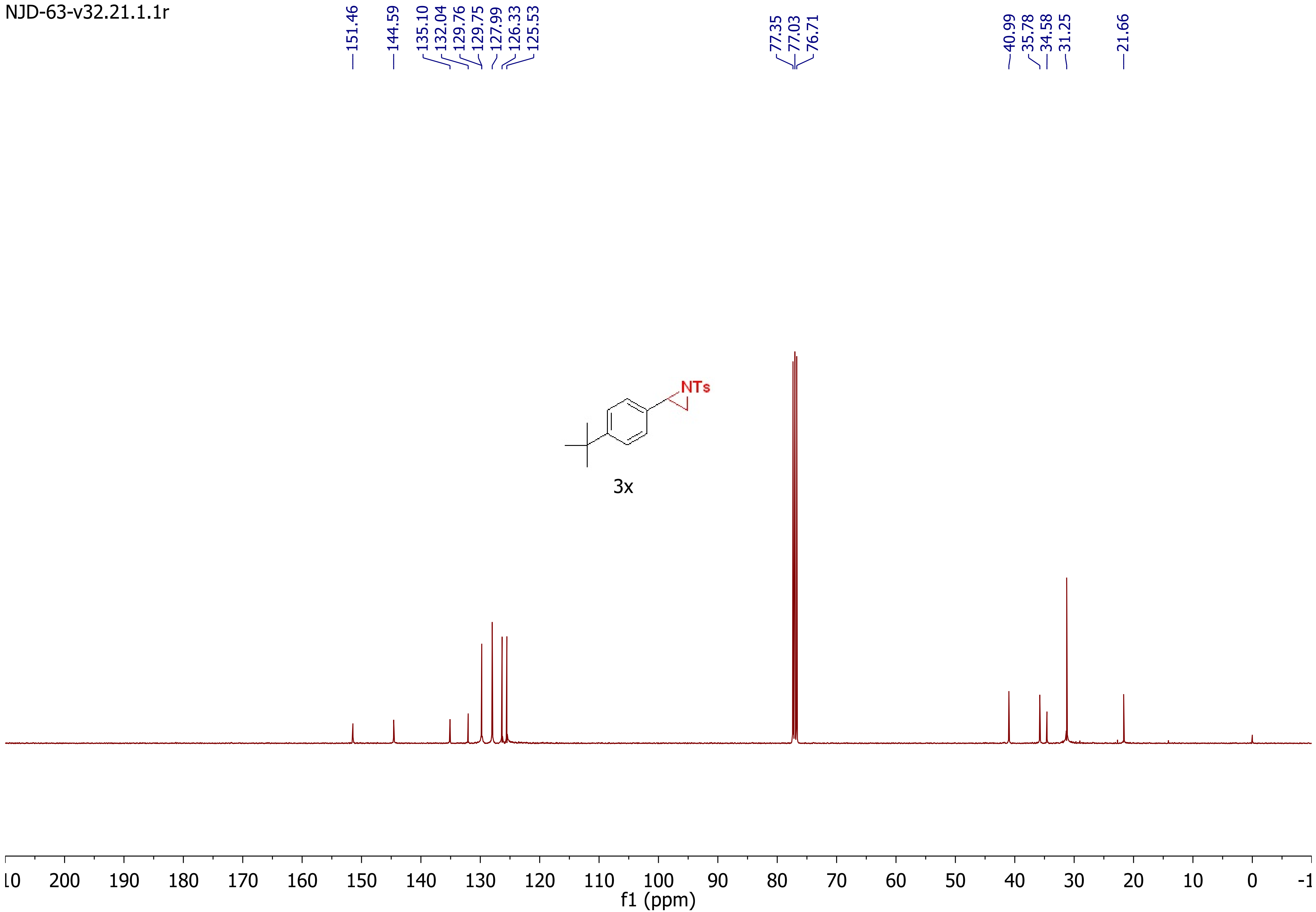
3v

AAP-119B-4thspot.10.1.1r

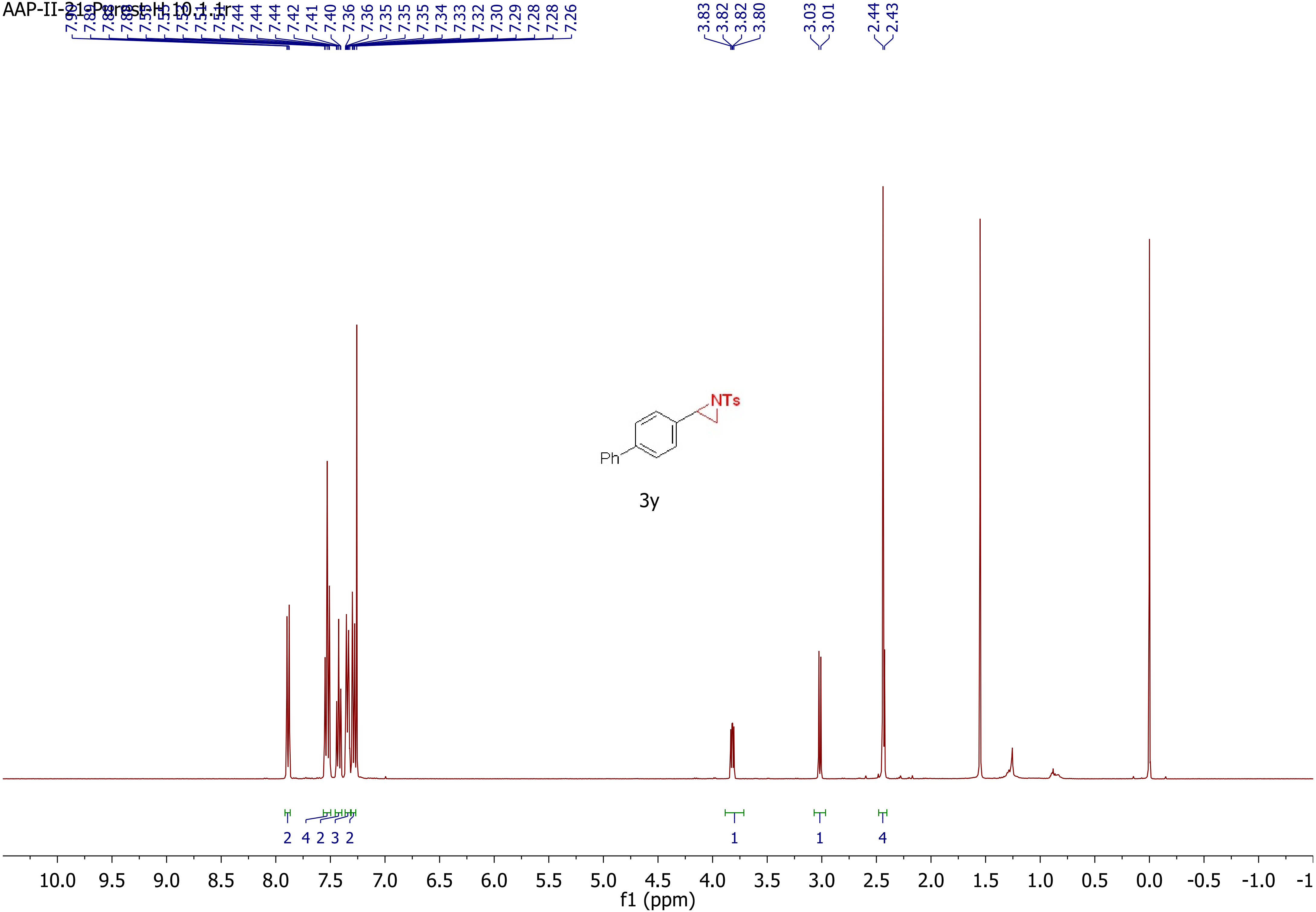


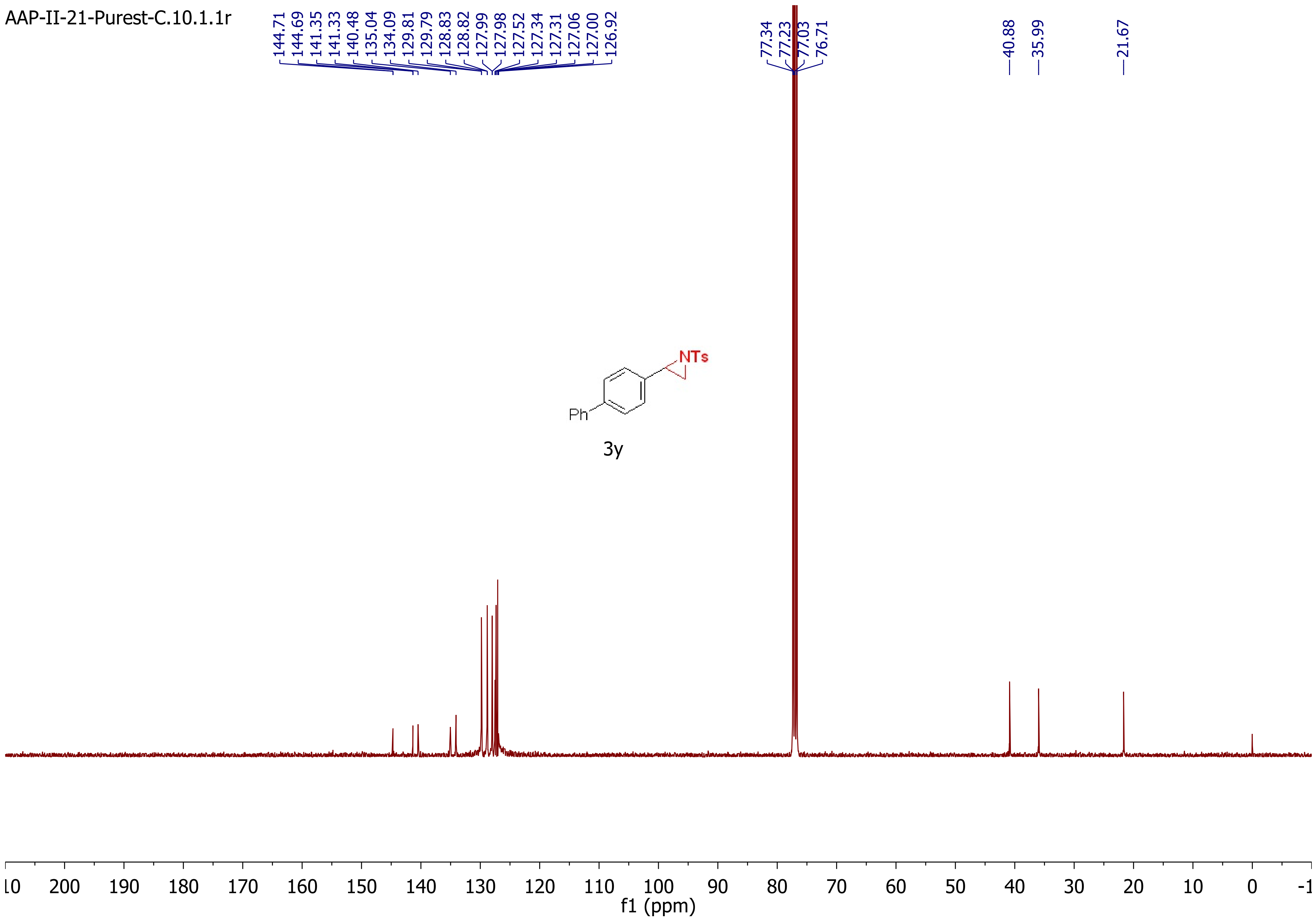
NJD-63-v32.20.1.1r

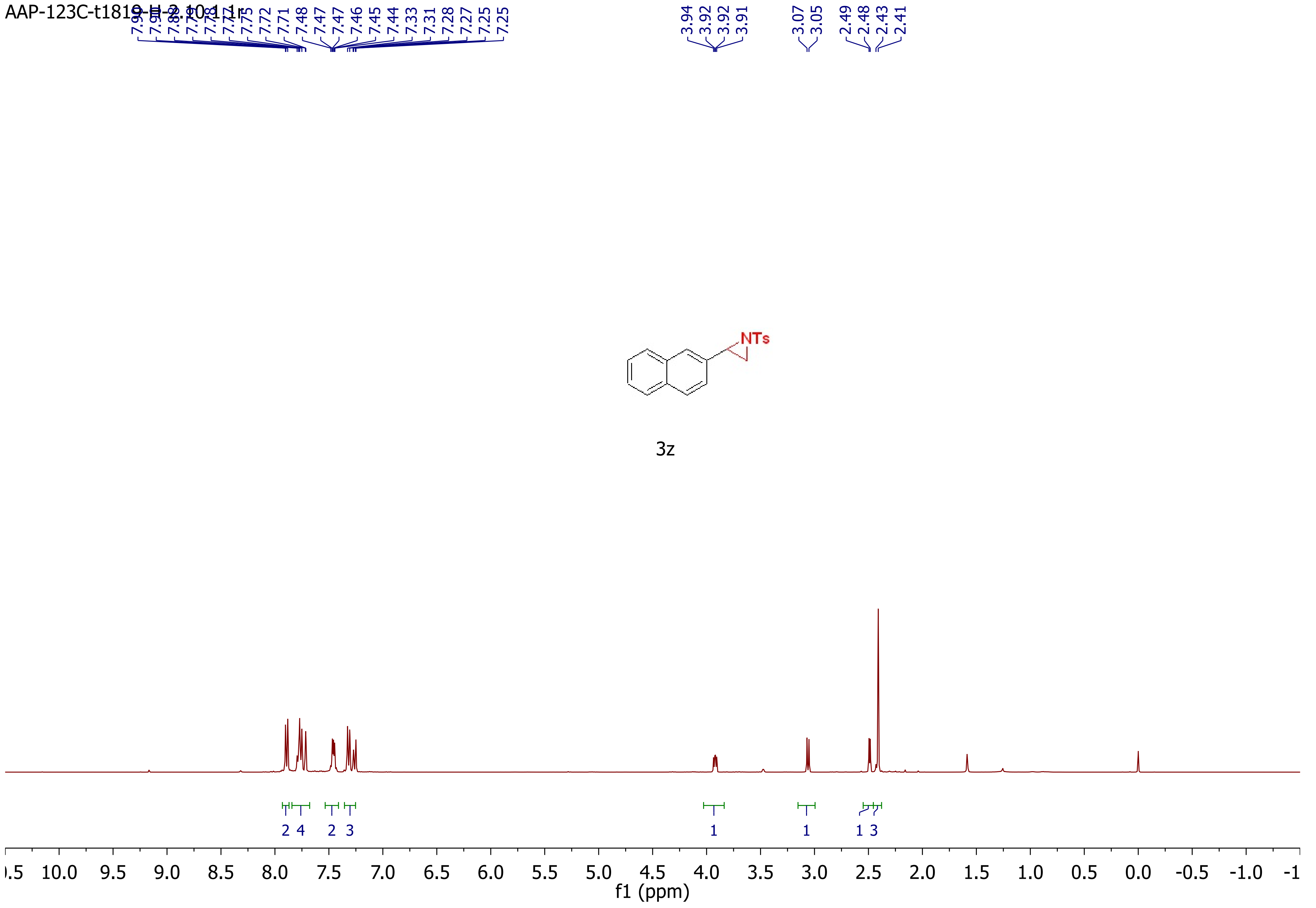



NJD-63-v32.21.1.1r
151.46
144.59
135.10
132.04
129.76
129.75
127.99
126.33
125.53
77.35
77.03
76.71
40.99
35.78
34.58
31.25
21.66
NTs
3x
f1 (ppm)

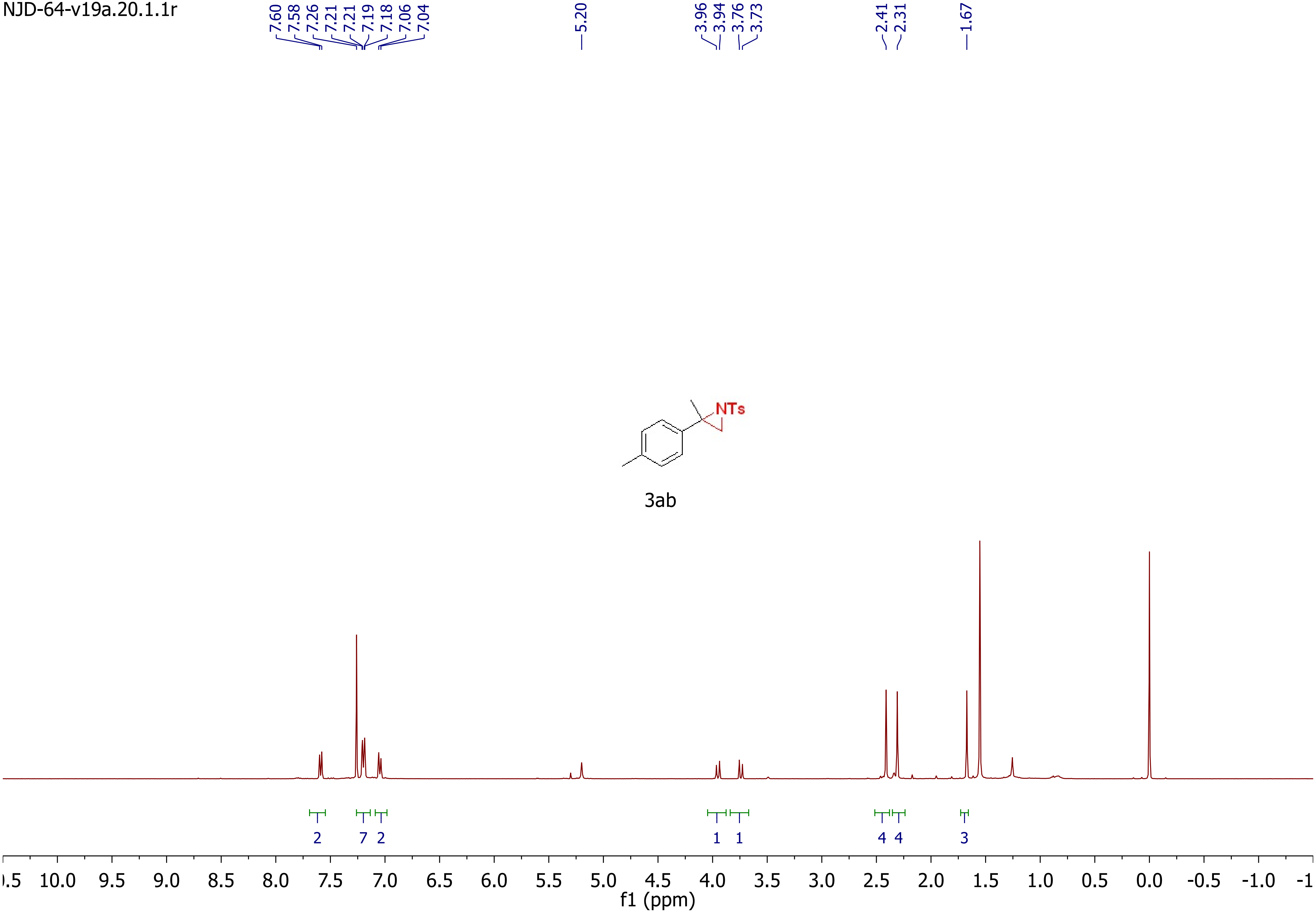
AAP-II-21-Purest-H.10.1.1r



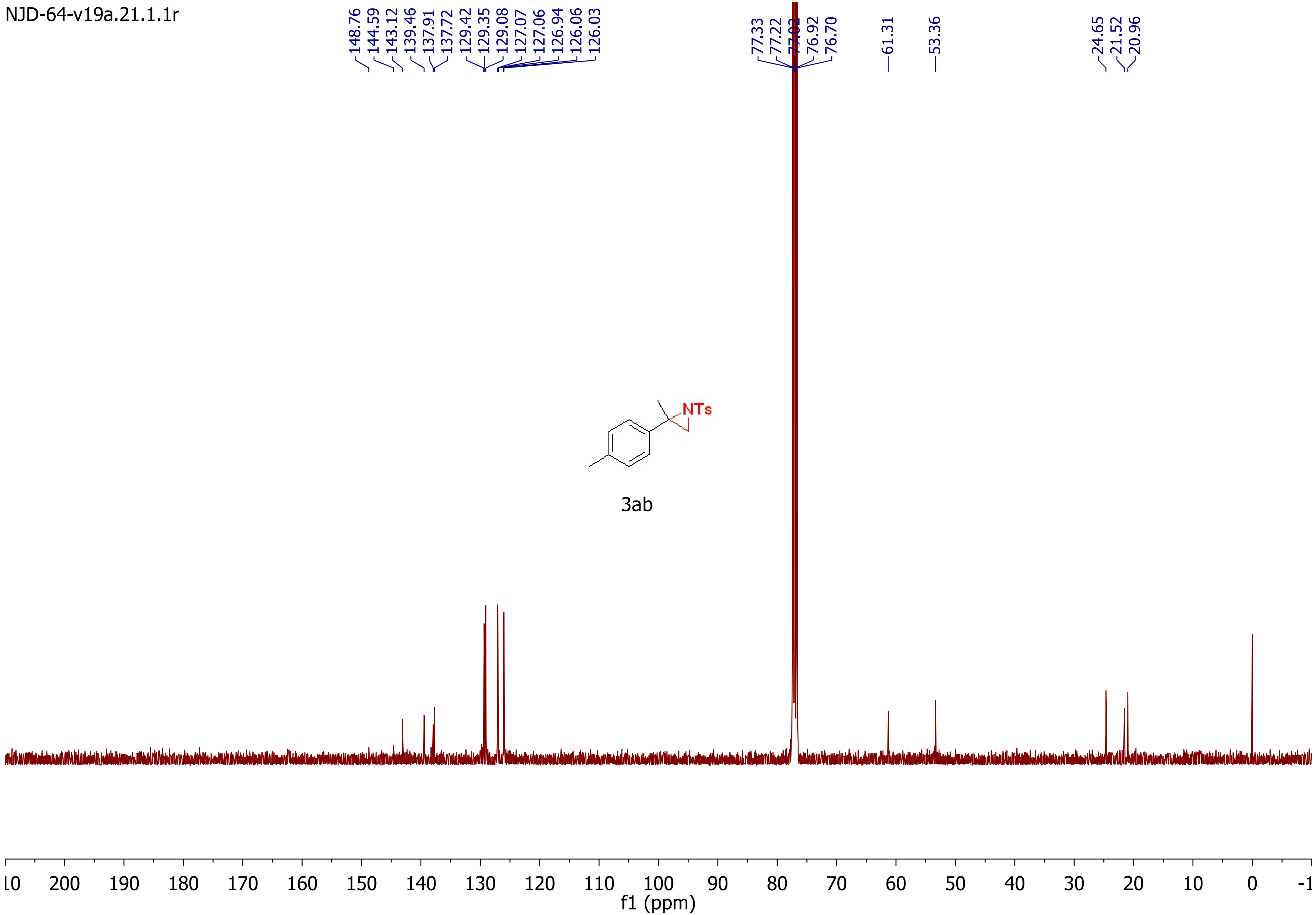

AAP-II-21-Purest-C.10.1.1r
144.71
144.69
141.35
141.33
140.48
135.04
134.09
129.81
129.79
128.83
128.82
127.99
127.98
127.52
127.34
127.31
127.06
127.00
126.92
77.34
77.23
77.03
76.71
40.88
35.99
21.67
NTs
Ph
3y
f1 (ppm)



AAP-123C-t1819-H-2.10.1.1r
7.72
7.71
7.48
7.47
7.47
7.46
7.45
7.44
7.33
7.31
7.28
7.27
7.25
7.25
3.94
3.92
3.92
3.91
3.07
3.05
2.49
2.48
2.43
2.41
NTs
3z
2 4 2 3 1 1 1 3
.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 0.0 -0.5 -1.0 -1
f1 (ppm)


NJD-64-v19a.20.1.1r
7.60
7.58
7.26
7.21
7.21
7.19
7.18
7.06
7.04
5.20
3.96
3.94
3.76
3.73
2.41
2.31
1.67
NTs
3ab
2
7
2
1
1
4
4
3
f1 (ppm)

NJD-64-v19a.21.1.1r



3ab