

Supporting Information
for
Gold-Catalyzed Formal [4 + 2]
Cycloaddition of
5-(Ethynylamino)pent-2-yn-1-yl Esters
to 1,2,3,5-Tetrahydrobenzo[g]quinolines

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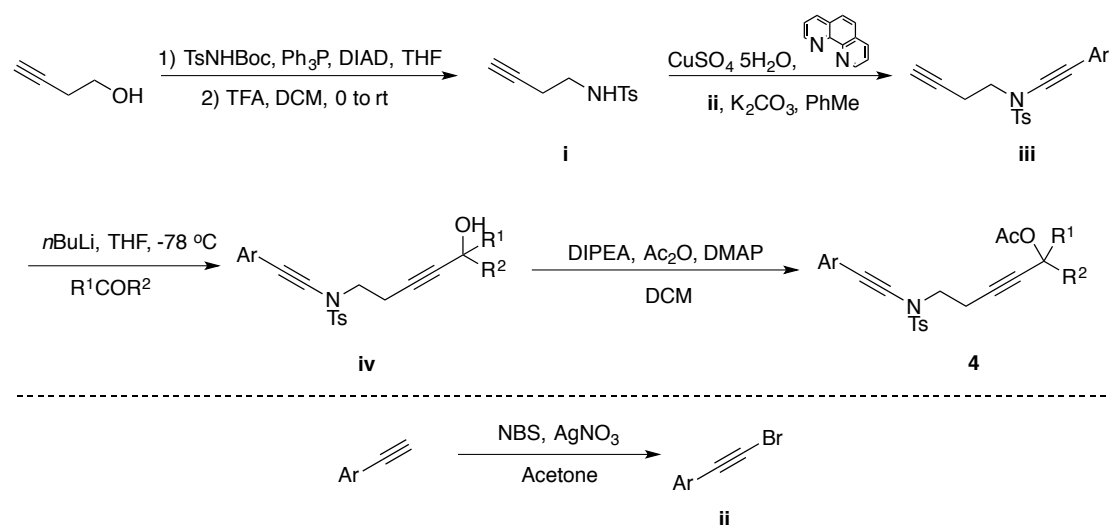
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I. General Information

Unless specified, all metal complexes, reagents and starting materials were purchased directly from commercial sources and used without further purifications. Analytical thin layer chromatography was performed using pre-coated silica gel plate and visualized with ultraviolet radiation at 254 nm or through staining with potassium permanganate solution. Flash chromatography was achieved using silica gel and gradient solvent system (EtOAc:*n*hexane as eluent). ^1H and ^{13}C NMR were recorded at ambient temperatures on a 300 or 400 MHz NMR spectrometer with tetramethylsilane (TMS) as the internal standard. Chemical shifts (ppm) were reported in parts per million (ppm) with coupling constants reported in Hertz (Hz). Multiplicities are given as: s (singlet), br s (broad singlet), d (doublet), t (triplet), dd (doublet of doublets), dt (doublet of triplets) or m (multiplet). The number of protons (*n*) for a given resonance is indicated by *n*H. Infrared spectra were taken on IR spectrometer. High resolution mass spectra (HRMS) were obtained on a LC/HRMS TOF spectrometer using simultaneous electrospray (ESI).

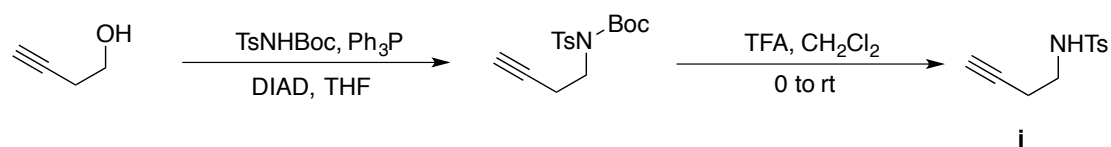
II. General Procedure for the Preparation of Substrate 4



Scheme S1 Preparation of substrate **4**

All substrates **4** examined in this work were prepared as shown in Scheme S1. This route was initiated by treatment of but-3-yn-1-ol with TsNHBoc *via* a Mitsunobo reaction, followed by Boc-deprotecting using TFA to give *N*-(but-3-yn-1-yl)-4-methylbenzenesulfonamide **i**.^{S1} Copper-catalyzed coupling reaction between **i** and **ii** gave the key ynamide intermediate **iii**.^{S2} Treatment of 1,6-diyne **iii** with *n*-BuLi followed by an aldehyde or ketone at -78°C gave the corresponding alcohol **iv**. Finally, acetylation of this compound **iv** with acetic anhydride afforded the desired substrate **4**.

2.1. Procedure for the Preparation of Compound **i**^{S1}



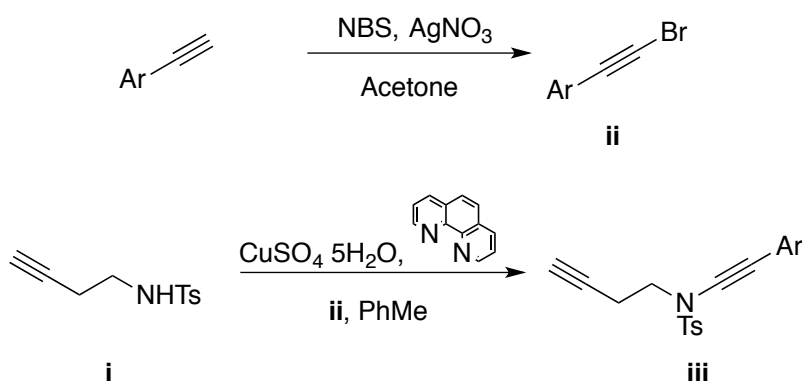
Scheme S2 Preparation of compound **i**

Compound **i** was prepared following literature procedure as shown in Scheme S2. A

solution of *N*-(*t*-butoxycarbonyl)-*N*-*p*-toluenesulfonamide (9.5 g, 35.1 mmol, 1 equiv), triphenylphosphine (9.2 g, 42.1 mmol, 1.2 equiv) and but-3-yn-1-ol (2.41 mL, 42.1 mmol, 1.2 equiv) in THF was chilled to 0 °C. Diisopropyl azodicarboxylate (DIAD) (6.9 mL, 42.1 mmol, 1.2 equiv) was then added dropwise. The reaction mixture was stirred at room temperature for 2 days. The reaction mixture was concentrated *in vacuo* and purified by flash column chromatography on silica gel (eluent: *n*hexane: EtOAc = 9:1) to give *tert*-butyl but-3-yn-1-yl(tosyl)carbamate in 99% yield.

Trifluoroacetic acid (12 mL, 5 equiv) was added dropwise to a solution of *t*-butyl but-3-yn-1-yl(tosyl)carbamate (11.2 g, 34.6 mmol, 1 equiv) in CH₂Cl₂ at room temperature. The reaction mixture was stirred for 3 h and then added a saturated aqueous NaHCO₃ solution until the pH of the water phase was 8~9. The crude product was extracted with CH₂Cl₂ (3 x 50 mL) and the combined organic layers were dried over anhydrous Na₂SO₄, concentrated *in vacuo* to give *N*-(but-3-yn-1-yl)-4-methylbenzenesulfonamide **i** as a yellow solid in 97% yield.

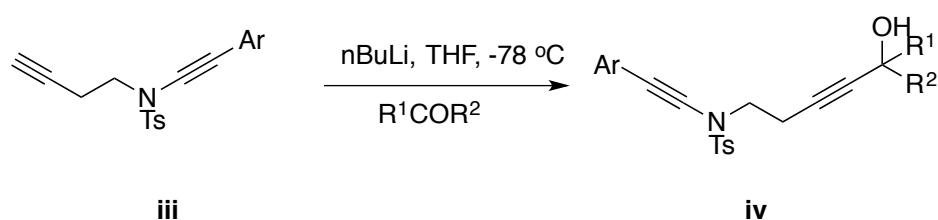
2.2. General Procedure for the Preparation of Compound **ii**^{S2}



To a solution of the alkyne (20 mmol, 1 equiv) in acetone (200 mmol, 0.1 M) was

added *N*-bromosuccinimide (NBS, 24 mmol, 1.2 equiv) and AgNO₃ (2 mmol, 0.1 equiv), the resulting mixture was stirred at room temperature for 2 h. The solution was concentrated and the resulting residue was extracted with *n*hexane (3 x 50 mL), dried over NaSO₄ and evaporated to dryness to afford a pure colorless oil of **ii** in 90—99% yield. To an oven-dried flask was added **i** (17 mmol, 1.2 equiv), CuSO₄·5H₂O (1.7 mmol, 0.1 equiv), 1,10-phenanthroline (3.4 mmol, 0.2 equiv) and K₂CO₃ (54 mmol, 3 equiv), and this mixture was subsequently treated with anhydrous toluene (17 mL, 1 M) and **ii** (14.2 mmol, 1 equiv) under a nitrogen atmosphere. The reaction mixture was heated to 80 °C for 8 h. After completion, the crude reaction mixture was cooled to room temperature, filtered through Celite, and concentrated under reduced pressure. Purification of the crude residue by flash column chromatography on silica gel (eluent: *n*hexane:EtOAc = 49:1 to 23:2) gave **iii** as a brown solid in 54-80% yield.

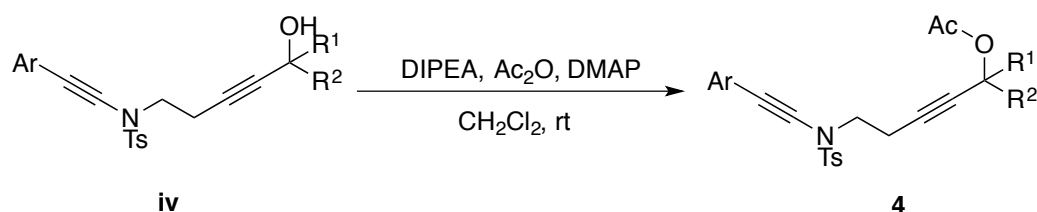
2.3 General Procedure for the Preparation of Alcohol **iv**



To a flame-dried 50 mL round-bottom-flask charged with **iii** (3 mmol, 1 equiv) in THF (15 mL, 0.2 M) was added *n*BuLi (3.3 mmol, 1.1 equiv, 2.5 M in THF) dropwise at −78 °C under a nitrogen atmosphere. The reaction mixture was allowed to stir at the same temperature for 1 h. The corresponding aldehyde or ketone (9 mmol, 3 equiv) was subsequently added to the resulting mixture at the same temperature. The reaction

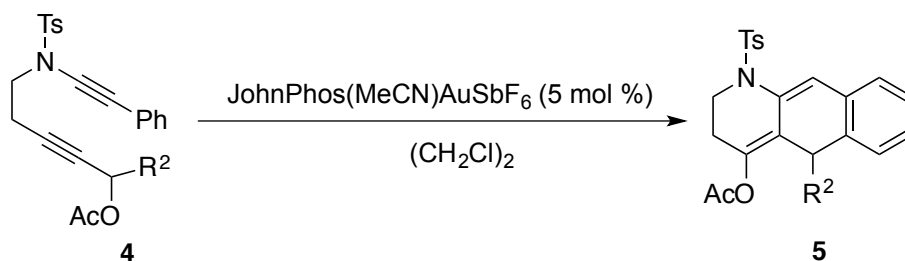
mixture was allowed to stir for 16 h at room temperature. Upon completion, the reaction mixture was quenched by saturated aqueous NH_4Cl (10 mL) solution and the aqueous layer was extracted with EtOAc (15 mL). The organic layers were combined, dried over Na_2SO_4 and concentrated under reduced pressure. The crude mixture was purified by flash column chromatography on silica gel (eluent: *n*hexane:EtOAc = 49:1 to 9:1) on silica gel to give **iv** as an oil in 42-93% yield.

2.4 General Procedure for the Preparation of **4**



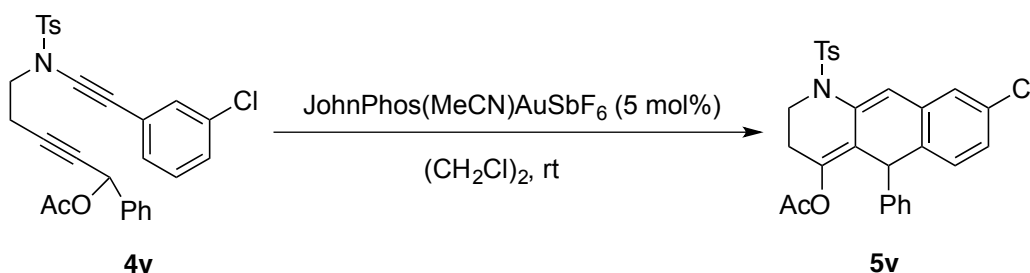
To a solution of alcohol **iv** (1 mmol, 0.1 equiv) and DMAP (0.1 mmol, 0.1 equiv) in anhydrous CH_2Cl_2 (10 mL, 0.1 M) was sequentially added DIPEA (5 mmol, 5 equiv) and acetic anhydride (3 mmol, 3 equiv). The reaction mixture was stirred at room temperature for 16 h. Upon completion, monitored by TLC analysis, the reaction mixture was quenched by adding saturated aqueous NH_4Cl (10 mL) and extracted with CH_2Cl_2 (10 mL). The combined organic layers were dried over Na_2SO_4 , concentrated under reduced pressure and purified by flash column chromatography on silica gel (eluent: *n*hexane:EtOAc = 49:1 to 12:1) to give **4** in 54-99% yield.

III. (a) General Procedure for the JohnPhosAuSbF₆-Catalyzed Cycloisomerization of **4**



A 10 mL round-bottomed flask was charged with **4** (0.1 mmol) and JohnPhos(MeCN)AuSbF₆ (5 mol %) followed by the addition of 1,2-dichloroethane (1 mL, 0.1 M). The resulting mixture was then stirred at room temperature under atmospheric conditions for 1 to 12 h. On completion, the reaction mixture was directly purified by flash column chromatography on silica gel (eluent: *n*-hexane:EtOAc = 49:1) to afford the product **5** in 32-90% yield.

(b) Representative Procedure for the JohnPhosAuSbF₆-Catalyzed Cycloisomerization of **4v** at the 1 mmol Scale

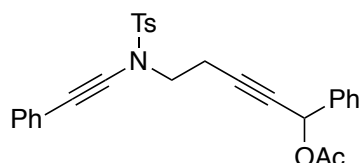


A 25 mL round-bottomed flask was charged with **4v** (506 mg, 1. mmol) and 40 mg JohnPhos(MeCN)AuSbF₆ (5 mol%) followed by the addition of 1,2-dichloroethane (10 mL, 0.1 M). The resulting mixture was then stirred at room temperature under atmospheric conditions for 1 h. On completion, the reaction mixture was concentrated

under vacuum and purified by flash column chromatography on silica gel (eluent: *n*hexane:EtOAc = 10:1) to afford the product **5v** (360 mg) in 71% yield.

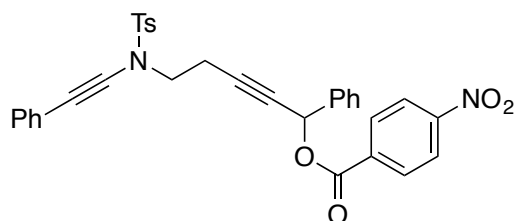
IV. Spectral Data

5-((4-Methyl-N-(phenylethynyl)phenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4a)



Yield: 99%, 390 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3): δ 2.06 (s, 3H), 2.42 (s, 3H), 2.73 (td, $J = 7.5, 1.8$ Hz, 2H), 3.65 (t, $J = 7.5$ Hz, 2H), 6.47 (s, 1H), 7.28-7.39 (m, 10H), 7.53 (d, $J = 1.5$ Hz, 1H), 7.55 (d, $J = 1.9$ Hz, 1H), 7.87 (d, $J = 8.3$ Hz, 2H) ppm; ^{13}C NMR (CDCl_3 , 100MHz): 18.6, 20.7, 21.3, 49.8, 65.3, 70.9, 77.2, 78.9, 81.5, 83.0, 122.2, 127.3, 127.4, 127.7, 128.0, 128.3, 128.6, 129.6, 131.1, 134.2, 136.8, 144.6, 169.3 ppm; IR (NaCl, neat) ν : 3034, 2928, 2234, 1736, 1597, 1493, 1365, 1223, 1107, 1090, 1016, 957, 898, 863, 812, 798, 753, 692, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{25}\text{NO}_4\text{S}$: 494.1396, found: 494.1399.

5-((4-Methyl-N-(phenylethynyl)phenyl)sulfonamido)-1-phenylpent-2-yn-1-yl 4-nitrobenzoate (4b)

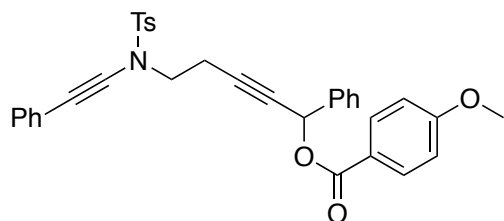


Yield: 70%, 425 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3): δ 8.16 – 7.99 (m, 4H), 7.79 – 7.65 (m, 2H), 7.55 – 7.42 (m, 2H), 7.32 – 7.24 (m, 3H), 7.21 (dddd, $J = 5.5, 4.2, 2.4, 0.8$ Hz, 4H), 7.18 – 7.11 (m, 3H), 6.57 (t, $J = 2.0$ Hz, 1H), 3.61 – 3.43 (m,

2H), 2.64 (ddd, $J = 7.9, 7.0, 2.0$ Hz, 2H), 2.32 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 163.62, 150.65, 144.95, 136.52, 135.19, 134.50, 131.43, 131.03, 129.92, 129.27, 128.82, 128.32, 128.03, 127.90, 127.70, 123.51, 122.53, 84.40, 81.77, 78.57, 71.23, 67.42, 50.11, 21.70, 21.67, 19.08; IR (NaCl, neat) ν : 3056, 3034, 2233, 1724, 1597, 1526, 1493, 1454, 1346, 1256, 1090, 1014, 963, 906, 871, 853, 812, 718, 693, 657 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{33}\text{H}_{26}\text{N}_2\text{O}_6\text{S}$: 601.1404, found: 601.1404.

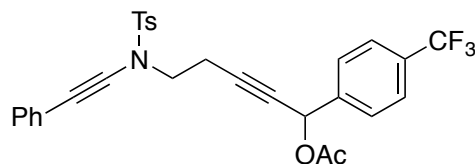
5-((4-Methyl-*N*-(phenylethynyl)phenyl)sulfonamido)-1-phenylpent-2-yn-1-yl

4-methoxybenzoate (4c)



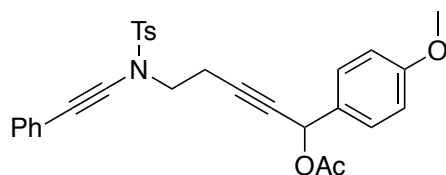
Yield: 61%, 390 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3): δ 8.00 – 7.86 (m, 2H), 7.82 – 7.67 (m, 2H), 7.52 – 7.43 (m, 2H), 7.35 – 7.11 (m, 10H), 6.84 – 6.75 (m, 2H), 6.64 – 6.42 (m, 1H), 3.75 (s, 3H), 3.54 (dd, $J = 8.4, 7.1$ Hz, 2H), 2.66 – 2.57 (m, 2H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.15, 163.64, 144.87, 137.36, 134.56, 131.98, 131.47, 129.88, 128.78, 128.63, 128.28, 127.96, 127.70, 127.63, 122.57, 122.14, 113.66, 83.27, 81.71, 79.32, 71.20, 65.88, 55.46, 50.11, 21.66, 18.99; IR (NaCl, neat) ν : 3061, 3033, 2934, 2840, 2234, 1710, 1604, 1510, 1494, 1363, 1319, 1250, 1125, 1088, 1026, 965, 912, 846, 813, 735, 694, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{34}\text{H}_{29}\text{NO}_5\text{S}$: 586.1659, found: 586.1654.

5-((4-Methyl-*N*-(phenylethynyl)phenyl)sulfonamido)-1-(4-(trifluoromethyl)phenyl)pent-2-yn-1-yl acetate (4d)



Yield: 99%, 465 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3): ^1H NMR (400 MHz, CDCl_3) δ 7.85 – 7.71 (m, 2H), 7.51 (d, $J = 1.4$ Hz, 4H), 7.29 – 7.18 (m, 6H), 6.36 (s, 1H), 3.53 (dd, $J = 7.8, 7.1$ Hz, 2H), 2.63 (ddd, $J = 7.9, 7.0, 2.1$ Hz, 2H), 2.36 (s, 3H), 2.01 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.60, 144.93, 140.87, 134.49, 131.42, 131.25, 129.88, 128.31, 128.04, 127.99, 127.70, 125.61 (125.61 (q, $J_{\text{C-F}} = 3.7$ Hz), δ 122.49, 84.11, 81.69, 78.41, 71.22, 64.94, 50.05, 21.64, 20.96, 19.02; IR (NaCl, neat) ν : 3062, 2234, 1741, 1620, 1597, 1366, 1323, 1221, 1165, 1121, 1109, 1015, 960, 813, 752, 675, 656 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{24}\text{F}_3\text{NO}_4\text{S}$: 562.1270, found: 562.1275.

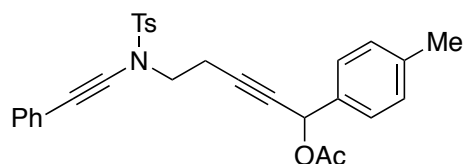
1-(4-Methoxyphenyl)-5-((4-methyl-*N*-(phenylethynyl)phenyl)sulfonamido)pent-2-yn-1-yl acetate (4e)



Yield: 99%, 259 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.76 (d, $J = 8.3$ Hz, 2H), 7.35 (d, $J = 8.6$ Hz, 2H), 7.30 – 7.23 (m, 4H), 7.24 – 7.18 (m, 3H), 6.81 – 6.76 (m, 2H), 6.28 (s, 1H), 3.72 (s, 3H), 3.56 – 3.51 (m, 2H), 2.66 – 2.58 (m, 2H), 2.37 (s,

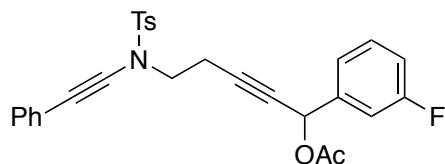
3H), 1.97 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.86, 160.05, 144.86, 134.54, 131.45, 129.87, 129.29, 128.28, 127.96, 127.70, 122.56, 113.96, 83.00, 81.71, 79.28, 71.17, 65.45, 55.32, 50.13, 21.67, 21.15, 18.98. IR (NaCl, neat) ν : 3056, 2933, 2837, 2233, 1735, 1610, 1597, 1512, 1442, 1364, 1305, 1249, 1223, 1166, 1090, 1028, 1014, 952, 906, 812, 752, 691, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_5\text{S}$: 524.1502, found: 524.1507.

5-((4-Methyl-*N*-(phenylethynyl)phenyl)sulfonamido)-1-(*p*-tolyl)pent-2-yn-1-yl acetate (4f)



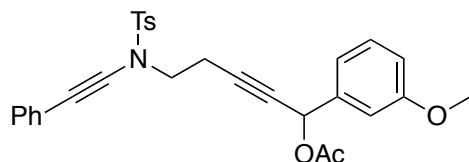
Yield: 96%, 200 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3): δ 7.78 – 7.69 (m, 2H), 7.32 – 7.15 (m, 9H), 7.10 – 7.02 (m, 2H), 6.28 (d, J = 2.1 Hz, 1H), 3.52 (dd, J = 8.2, 7.1 Hz, 2H), 2.60 (ddd, J = 9.0, 7.1, 2.1 Hz, 2H), 2.34 (s, 3H), 2.24 (s, 3H), 1.96 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.80, 144.89, 138.84, 134.54, 134.19, 131.46, 129.90, 129.31, 128.30, 127.99, 127.71, 127.69, 122.56, 83.03, 81.72, 79.26, 71.18, 65.62, 50.13, 21.67, 21.22, 21.12, 18.97. IR (NaCl, neat) ν : 3028, 3053, 2960, 2928, 2875, 2234, 1736, 1597, 1364, 1343, 1223, 1165, 1119, 1089, 1012, 953, 813, 755, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1571.

1-(3-Fluorophenyl)-5-((4-methyl-N-(phenylethynyl)phenyl)sulfonamido)pent-2-yn-1-yl acetate (4g)



Yield: 88%, 335 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.81 – 7.66 (m, 2H), 7.34 – 7.11 (m, 9H), 7.11 – 7.06 (m, 1H), 6.97 – 6.83 (m, 1H), 6.29 (t, $J = 2.0$ Hz, 1H), 3.50 (dd, $J = 8.0, 7.1$ Hz, 2H), 2.59 (ddd, $J = 8.1, 7.1, 2.1$ Hz, 2H), 2.30 (s, 3H), 1.95 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.60, 162.72 (d, $J_{\text{C-F}} = 247.5$ Hz), 144.97, 139.49 (d, $J_{\text{C-F}} = 7.2$ Hz), 134.51, 131.46, 130.25 (d, $J_{\text{C-F}} = 8.1$ Hz), 129.93, 128.33, 128.04, 127.69, 123.31 (d, $J_{\text{C-F}} = 3.0$ Hz), 122.53, 115.80 (d, $J_{\text{C-F}} = 21.1$ Hz), 114.61 (d, $J_{\text{C-F}} = 22.6$ Hz), 83.73, 81.73, 78.61, 71.24, 64.91 (d, $J_{\text{C-F}} = 2.1$ Hz), 50.06, 21.65, 20.98, 18.96. IR (NaCl, neat) ν : 3063, 3035, 2929, 2234, 1740, 1594, 1488, 1365, 1220, 1167, 1127, 1090, 1017, 965, 813, 754, 691, 676 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{FNO}_4\text{S}$: 512.1302, found: 512.1303.

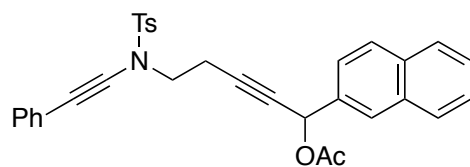
1-(3-Methoxyphenyl)-5-((4-methyl-N-(phenylethynyl)phenyl)sulfonamido)pent-2-yn-1-yl acetate (4h)



Yield: 67%, 264 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.81 – 7.65 (m, 2H), 7.34 – 7.06 (m, 8H), 7.02 – 6.86 (m, 2H), 6.77 (ddd, $J = 8.3, 2.6, 1.0$ Hz, 1H), 6.29 (t, J

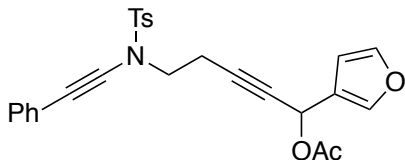
= 2.0 Hz, 1H), 3.70 (s, 3H), 3.51 (dd, J = 8.2, 7.0 Hz, 2H), 2.63 – 2.56 (m, 2H), 2.33 (s, 3H), 1.97 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.72, 159.76, 144.93, 138.53, 134.52, 131.46, 129.91, 129.71, 128.32, 128.01, 127.69, 122.54, 119.92, 114.49, 113.20, 83.23, 81.72, 79.07, 71.21, 65.58, 55.32, 50.10, 21.67, 21.08, 18.98. IR (NaCl, neat) ν : 3020, 2938, 2837, 2234, 1736, 1598, 1490, 1438, 1365, 1222, 1167, 1016, 963, 911, 813, 756, 691, 676, 656 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_5\text{S}$: 524.1502, found: 524.1509.

5-((4-Methyl-*N*-(phenylethynyl)phenyl)sulfonamido)-1-(naphthalen-2-yl)pent-2-yn-1-yl acetate (4i)



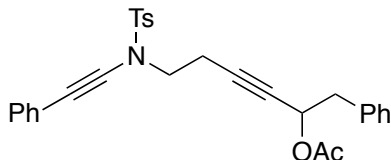
Yield: 57%, 365 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.85 (dt, J = 1.6, 0.7 Hz, 1H), 7.77 – 7.63 (m, 5H), 7.47 (dd, J = 8.5, 1.8 Hz, 1H), 7.39 – 7.30 (m, 2H), 7.26 – 7.18 (m, 2H), 7.18 – 7.04 (m, 5H), 6.48 (t, J = 2.0 Hz, 1H), 3.52 (dd, J = 8.0, 7.1 Hz, 2H), 2.62 (ddd, J = 8.1, 7.1, 2.1 Hz, 2H), 2.25 (s, 3H), 1.96 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.84, 144.93, 134.53, 134.39, 133.46, 133.07, 131.49, 129.91, 128.64, 128.37, 128.34, 128.03, 127.72, 127.12, 126.68, 126.46, 125.12, 122.58, 83.67, 81.81, 79.19, 71.28, 65.93, 50.16, 21.65, 21.15, 19.07. IR (NaCl, neat) ν : 3054, 2928, 2233, 1736, 1597, 1364, 1221, 1167, 1119, 1090, 1015, 965, 893, 859, 814, 750, 691, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{32}\text{H}_{27}\text{NO}_4\text{S}$: 544.1553, found: 544.1553.

l acetate (4j)



6-((4-Methyl-N-(phenylethynyl)phenyl)sulfonamido)-1-phenylhex-3-yn-2-yl

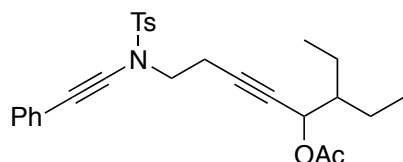
acetate (4k)



S16

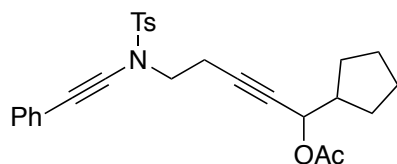
(s, 3H), 1.91 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.81, 144.94, 136.02, 134.52, 131.45, 129.93, 129.68, 128.36, 128.34, 128.03, 127.70, 126.96, 122.58, 82.27, 81.76, 79.59, 71.18, 64.71, 50.15, 41.27, 21.71, 20.98, 18.83. IR (NaCl, neat) ν : 3061, 3030, 2928, 2234, 1736, 1597, 1495, 1454, 1443, 1365, 1227, 1167, 1090, 1018, 965, 909, 813, 753, 732, 691, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. For $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1558.

3-Ethyl-8-((4-methyl-N-(phenylethynyl)phenyl)sulfonamido)oct-5-yn-4-yl acetate (4l)



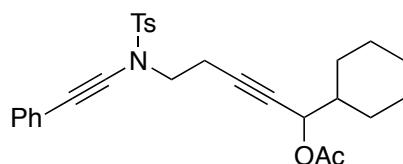
Yield: 85%, 265 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.81 – 7.67 (m, 2H), 7.34 – 7.14 (m, 7H), 5.31 (dt, $J = 4.3, 2.0$ Hz, 1H), 3.53 – 3.45 (m, 2H), 2.59 – 2.51 (m, 2H), 2.36 (s, 3H), 1.96 (s, 3H), 1.49 – 1.26 (m, 5H), 0.81 (td, $J = 7.4, 2.2$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.05, 144.86, 134.53, 131.43, 129.88, 128.28, 127.96, 127.67, 122.58, 81.73, 81.64, 78.93, 71.10, 66.61, 50.25, 45.25, 22.41, 22.09, 21.66, 21.05, 18.84, 11.55, 11.45. IR (NaCl, neat) ν : 2962, 2934, 2875, 2235, 1736, 1597, 1366, 1229, 1166, 1118, 1090, 1017, 963, 898, 813, 749, 691, 676 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{27}\text{H}_{31}\text{NO}_4\text{S}$: 488.1866, found: 488.1872.

1-Cyclopentyl-5-((4-methyl-N-(phenylethynyl)phenyl)sulfonamido)pent-2-yn-1-yl acetate (4m)



Yield: 92%, 264 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, Chloroform-*d*) δ 7.88 – 7.64 (m, 2H), 7.37 – 6.95 (m, 7H), 5.15 (dt, J = 7.1, 2.0 Hz, 1H), 3.51 – 3.44 (m, 2H), 2.57 – 2.51 (m, 2H), 2.36 (s, 3H), 2.13 (td, J = 8.1, 7.0 Hz, 1H), 1.96 (s, 3H), 1.71 – 1.21 (m, 10H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.08, 143.84, 133.50, 130.40, 128.85, 127.25, 126.93, 126.64, 121.55, 80.72, 79.92, 78.52, 70.06, 66.56, 49.24, 42.69, 27.79, 27.42, 24.52, 24.40, 20.62, 20.00, 17.79. IR (NaCl, neat) ν : 2954, 2869, 2234, 1736, 1596, 1490, 1450, 1366, 1341, 1230, 1180, 1089, 1013, 810, 755, 677, 655 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{27}\text{H}_{29}\text{NO}_4\text{S}$: 486.1710, found: 486.1712.

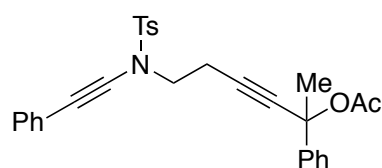
1-Cyclohexyl-5-((4-methyl-N-(phenylethynyl)phenyl)sulfonamido)pent-2-yn-1-yl acetate (4n)



Yield: 88%, 364 mg; colorless oil; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, Chloroform-*d*) δ 7.89 – 7.49 (m, 2H), 7.51 – 6.96 (m, 7H), 5.08 (d, J = 6.2 Hz, 1H), 3.56 – 3.43 (m, 2H), 2.63 – 2.52 (m, 2H), 2.38 (s, 3H), 1.98 (s, 3H), 1.76 – 1.48 (m, 6H), 1.20 – 0.90 (m, 5H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.10, 144.84, 134.56, 131.43, 129.87, 128.28, 127.95, 127.68, 122.59, 81.71, 81.68, 78.97, 71.12, 68.45,

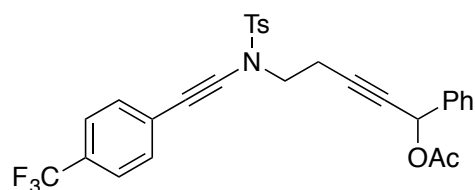
68.41, 50.24, 41.83, 28.51, 28.12, 26.15, 25.74, 25.69, 21.69, 21.65, 21.01, 20.99, 18.83. IR (NaCl, neat) ν : 2927, 2853, 2234, 1736, 1597, 1444, 1366, 1228, 1167, 1090, 1017, 973, 813, 753, 691, 675 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{31}\text{NO}_4\text{S}$: 500.1866, found: 500.1869.

6-((4-Methyl-*N*-(phenylethynyl)phenyl)sulfonamido)-2-phenylhex-3-yn-2-yl acetate (4o)



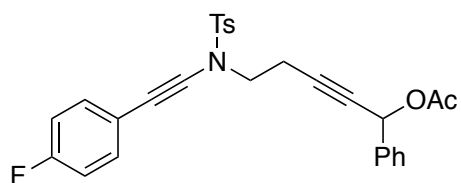
Yield: 99%, 270 mg; white solid; m.p: 88 - 90 °C ^1H NMR (400 MHz, CDCl_3) δ 7.79 – 7.69 (m, 2H), 7.47 – 7.36 (m, 2H), 7.28 – 7.08 (m, 10H), 3.63 – 3.45 (m, 2H), 2.64 (dd, J = 8.3, 6.9 Hz, 2H), 2.30 (s, 3H), 1.91 (s, 3H), 1.72 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 168.61, 144.93, 142.75, 134.58, 131.50, 129.96, 128.34, 128.03, 127.74, 127.70, 124.87, 122.61, 83.14, 82.02, 81.92, 75.81, 71.15, 50.37, 32.33, 21.83, 21.67, 19.11. IR (NaCl, neat) ν : 3060, 3032, 2988, 2933, 2234, 1745, 1597, 1493, 1444, 1364, 1233, 1187, 1166, 1119, 1089, 1059, 1012, 966, 944, 917, 895, 846, 813, 754, 691, 675, 662 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1553.

5-((4-Methyl-*N*-((4-(trifluoromethyl)phenyl)ethynyl)phenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4p)



Yield: 70%, 576 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, CDCl_3) δ 7.71 (d, $J = 8.4$ Hz, 2H), 7.50 – 7.31 (m, 4H), 7.28 (dt, $J = 7.9$, 0.8 Hz, 2H), 7.24 – 7.16 (m, 6H), 6.30 (s, 1H), 3.55 – 3.45 (m, 2H), 2.59 (ddd, $J = 7.9$, 7.0, 2.1 Hz, 2H), 2.28 (s, 3H), 1.91 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.68, 145.23, 137.03, 134.45, 131.10, 130.03, 128.90, 128.63, 127.68, 127.66, 126.45, δ 125.21 (q, $J_{\text{C-F}} = 3.8$ Hz), 84.52, 83.12, 79.30, 71.62, 70.51, 65.65, 50.06, 30.36, 21.61, 21.59, 20.98, 19.06. IR ν : 3063, 3035, 2927, 2234, 1737, 1701, 1616, 1597, 1365, 1322, 1224, 1162, 1119, 1090, 1066, 1016, 955, 813, 735, 697, 668 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{H}]^+$ calcd. for $\text{C}_{29}\text{H}_{24}\text{F}_3\text{NO}_4\text{S}$: 540.1451, found: 540.1452.

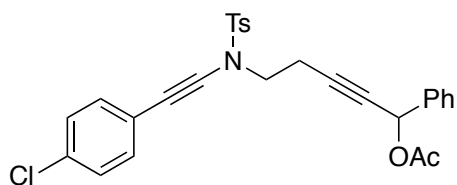
5-((*N*-((4-Fluorophenyl)ethynyl)-4-methylphenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4q)



Yield: 68%, 278 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, CDCl_3) δ 7.82 – 7.64 (m, 2H), 7.47 – 7.34 (m, 2H), 7.33 – 7.11 (m, 7H), 6.88 (t, $J = 8.7$ Hz, 2H), 6.31 (t, $J = 2.0$ Hz, 1H), 3.51 (dd, $J = 8.2$, 7.1 Hz, 2H), 2.60 (ddd, $J =$

8.3, 7.1, 2.1 Hz, 2H), 2.34 (s, 3H), 1.97 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.75, δ 162.39 (d, $J_{\text{C-F}} = 249.3$ Hz), 144.95, 137.04, 134.54, δ 133.60 (d, $J_{\text{C-F}} = 8.3$ Hz), 129.91, 128.91, 128.64, 127.69, 127.67, 118.57 (d, $J_{\text{C-F}} = 3.5$ Hz), 115.57 (d, $J_{\text{C-F}} = 22.2$ Hz), 83.23, 81.33, 79.13, 70.08, 65.69, 50.09, 21.67, 21.08, 18.98. IR (NaCl, neat) ν : 3064, 3034, 2927, 2878, 2237, 1736, 1598, 1509, 1364, 1221, 1107, 1090, 1015, 957, 899, 835, 813, 731, 697, 663 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{FNO}_4\text{S}$: 512.1302, found: 512.1304.

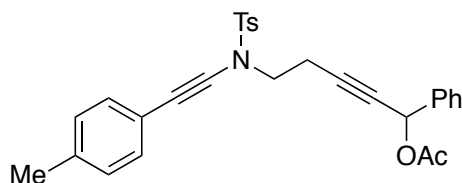
5-((N-((4-Chlorophenyl)ethynyl)-4-methylphenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4r)



Yield: 74%, 986 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.75 – 7.64 (m, 2H), 7.42 – 7.30 (m, 2H), 7.21 – 7.04 (m, 9H), 6.36 – 6.21 (m, 1H), 3.53 – 3.40 (m, 2H), 2.61 – 2.50 (m, 2H), 2.27 (s, 3H), 1.91 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.69, 145.07, 137.06, 134.48, 133.87, 132.66, 129.99, 128.92, 128.66, 128.64, 127.72, 127.66, 121.16, 83.28, 82.81, 79.24, 70.25, 65.68, 50.09, 21.68, 21.65, 21.06, 21.04, 19.02. IR (NaCl, neat) ν : 3063, 2927, 2235, 1736, 1595, 1492, 1454, 1365, 1223, 1407, 1118, 1089, 1013, 958, 898, 827, 813, 736, 696, 656 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{ClNO}_4\text{S}$: 528.1007, found: 528.0095.

5-((4-Methyl-*N*-(*p*-tolylethynyl)phenyl)sulfonamido)-1-phenylpent-2-yn-1-yl

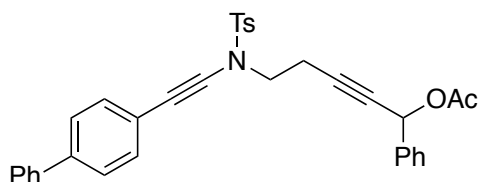
acetate (4s)



Yield: 72%, 260 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, Chloroform-*d*) δ 7.74 (d, $J = 8.4$ Hz, 2H), 7.48 – 7.35 (m, 2H), 7.27 – 7.21 (m, 4H), 7.17 – 7.13 (m, 2H), 7.01 – 6.97 (m, 2H), 6.32 (s, 1H), 3.57 – 3.41 (m, 2H), 2.65 – 2.56 (m, 2H), 2.34 (d, $J = 1.8$ Hz, 4H), 2.24 (s, 3H), 1.98 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.77, 144.82, 138.22, 137.08, 134.56, 131.59, 129.86, 129.56, 129.07, 128.89, 128.64, 127.71, 119.38, 83.34, 80.96, 79.06, 71.18, 65.72, 50.13, 21.68, 21.47, 21.10, 18.94.

δ IR (NaCl, neat) ν : 3032, 2921, 2234, 1736, 1597, 1511, 1494, 1449, 1405, 1364, 1223, 1167, 1119, 1089, 1016, 957, 898, 858, 814, 732, 697, 663 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. For $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1556.

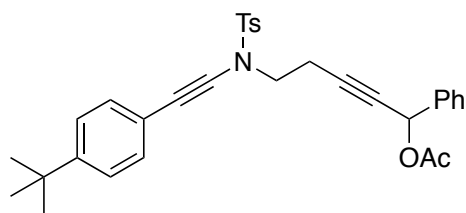
5-((*N*-([1,1'-biphenyl]-4-ylethynyl)-4-methylphenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4t)



Yield: 83%, 414 mg; yellow solid; m.p: 95-97 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.77 (d, $J = 8.3$ Hz, 2H), 7.52 – 7.46 (m, 2H), 7.46 – 7.38 (m, 4H), 7.38 – 7.21 (m, 10H),

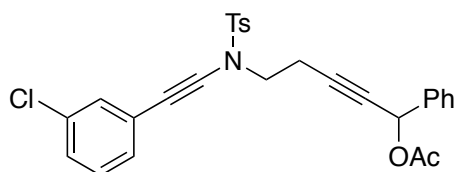
6.33 (t, $J = 2.0$ Hz, 1H), 3.57 – 3.49 (m, 2H), 2.66 – 2.59 (m, 2H), 2.36 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.80, 144.91, 140.76, 140.32, 137.07, 134.57, 131.90, 129.92, 128.91, 128.88, 128.65, 127.72, 127.64, 126.99, 126.97, 121.47, 83.28, 82.32, 79.12, 71.12, 65.73, 50.13, 21.70, 21.11, 19.01. IR (NaCl, neat) ν : 3240, 3033, 2236, 1741, 1596, 1488, 1404, 1365, 1222, 1184, 1163, 1118, 1088, 1076, 1015, 957, 906, 843, 809, 763, 721, 691, 699, 669 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{34}\text{H}_{29}\text{NO}_4\text{S}$: 570.1710, found: 570.1710.

5-((*N*-((4-(*tert*-Butyl)phenyl)ethynyl)-4-methylphenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4u)



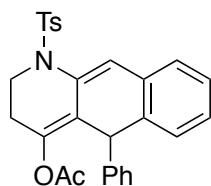
Yield: 90%, 764 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.75 – 7.65 (m, 2H), 7.39 – 7.31 (m, 2H), 7.20 – 7.12 (m, 8H), 6.29 (t, $J = 2.0$ Hz, 1H), 3.52 – 3.38 (m, 2H), 2.60 – 2.49 (m, 2H), 2.24 (s, 3H), 1.88 (s, 3H), 1.14 (s, 9H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.66, 151.38, 144.89, 137.17, 134.59, 131.49, 129.93, 128.91, 128.67, 127.76, 127.73, 125.37, 119.54, 83.46, 81.20, 79.17, 71.22, 65.72, 53.65, 50.21, 34.75, 31.23, 21.67, 21.65, 21.04, 18.97. IR (NaCl, neat) ν : 3035, 2960, 2869, 2235, 1736, 1596, 1494, 1456, 1364, 1223, 1167, 1127, 1090, 1015, 957, 898, 834, 736, 696, 656 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{32}\text{H}_{33}\text{NO}_4\text{S}$: 550.2023, found: 550.2027.

5-((N-((3-Chlorophenyl)ethynyl)-4-methylphenyl)sulfonamido)-1-phenylpent-2-yn-1-yl acetate (4v)



Yield: 61%, 930 mg; yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.76 – 7.67 (m, 2H), 7.41 – 7.31 (m, 2H), 7.23 – 7.04 (m, 8H), 6.30 (t, J = 2.0 Hz, 1H), 3.50 (dd, J = 7.9, 7.1 Hz, 2H), 2.57 (ddd, J = 8.0, 7.0, 2.1 Hz, 2H), 2.30 (s, 3H), 1.93 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.73, 145.13, 137.04, 134.46, 134.08, 131.01, 130.01, 129.61, 129.39, 128.92, 128.65, 128.12, 127.70, 127.67, 124.40, 83.18, 83.10, 79.28, 70.17, 65.69, 50.07, 21.68, 21.07, 19.04. IR (NaCl, neat) ν : 3065, 3032, 2929, 2234, 1736, 1593, 1560, 1365, 1222, 1167, 1089, 1015, 957, 898, 812, 752, 696, 668, 655 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{ClNO}_4\text{S}$: 528.1007, found: 528.1008.

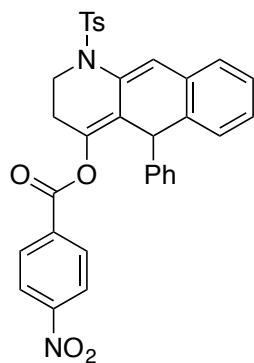
5-Phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5a)



Yield: 90%, 46 mg; yellow solid; m.p: 70-72 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3): δ 2.03 (s, 3H), 2.09-2.17 (m, 2H), 2.38 (s, 3H), 3.74-3.80 (m, 1H), 4.02 (dt, J = 14.0, 5.2 Hz, 1H), 4.97 (s, 1H), 6.90 (s, 1H), 6.95-7.05 (m, 5H), 7.10-7.18 (m, 7H), 7.63 (d, J = 8.3 Hz) ppm; ^{13}C NMR (CDCl_3 , 100MHz): 20.8, 21.6, 26.6, 43.3, 45.1, 121.2, 121.8, 126.5, 126.9, 127.1, 127.3, 127.5, 127.8, 127.9, 128.5, 128.5, 129.0, 129.4, 129.7,

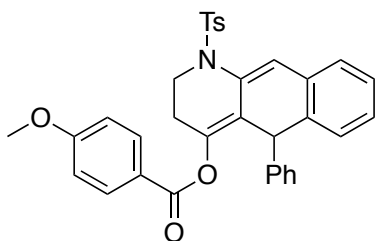
129.8, 130.1, 130.7, 131.2, 135.8, 136.8, 143.7, 144.2, 145.2, 167.5 ppm; IR (neat, cm^{-1}) ν : 1761, 1654, 1596; HRMS (ESI) $[\text{M} + \text{H}]^+$ calcd. for $\text{C}_{28}\text{H}_{25}\text{NO}_4\text{S}$: 494.1396, found: 494.1391.

5-Phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl 4-nitrobenzoate (5b)



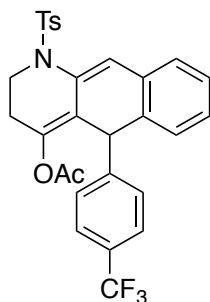
Yield: 64%, 96 mg; yellow solid; m.p.: 82-85 °C; ^1H NMR (400 MHz, CDCl_3): ^1H NMR (400 MHz, Chloroform-*d*) δ 8.27 – 8.11 (m, 2H), 8.03 – 7.86 (m, 2H), 7.70 – 7.54 (m, 2H), 7.14 – 6.77 (m, 11H), 4.91 (d, $J = 2.1$ Hz, 1H), 4.03 – 3.94 (m, 1H), 3.78 (ddd, $J = 13.7, 8.2, 5.1$ Hz, 1H), 2.40 – 2.13 (m, 5H); ^{13}C NMR (101 MHz, CDCl_3) δ 161.40, 150.90, 145.13, 144.05, 143.91, 136.92, 135.76, 134.35, 131.14, 130.89, 129.88, 129.58, 128.57, 128.00, 127.72, 127.44, 127.17, 127.06, 126.60, 123.66, 122.89, 121.64, 45.46, 43.36, 26.80, 21.65; IR (NaCl, neat) ν : 3058, 2923, 1736, 1597, 1524, 1491, 1450, 1344, 1260, 1158, 1086, 1013, 992, 910, 843, 812, 752, 730, 713, 667 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. For $\text{C}_{33}\text{H}_{26}\text{N}_2\text{O}_6\text{S}$: 601.1404, found: 601.1400.

5-Phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl 4-methoxybenzoate (5c)



Yield: 62%, 120 mg; white solid; m.p.: 80-83 °C; ^1H NMR (400 MHz, CDCl_3): δ 7.80 (d, J = 9.0 Hz, 2H), 7.58 (d, J = 8.3 Hz, 2H), 7.13 – 6.95 (m, 7H), 6.93 – 6.79 (m, 6H), 4.93 (d, J = 2.0 Hz, 1H), 3.99 – 3.88 (m, 1H), 3.80 (s, 4H), 2.40 – 2.14 (m, 6H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.03, 163.07, 145.26, 144.54, 143.73, 136.94, 135.95, 132.23, 131.42, 130.09, 129.79, 128.61, 128.43, 127.75, 127.48, 127.39, 127.31, 126.93, 126.37, 122.19, 121.30, 120.74, 113.87, 55.57, 45.31, 43.54, 26.86, 21.63; IR (NaCl, neat) ν : 3059, 3026, 2923, 2842, 1724, 1685, 1603, 1510, 1491, 1449, 1421, 1342, 1251, 1150, 1116, 1086, 1060, 1024, 911, 845, 812, 752, 735, 696, 670 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{34}\text{H}_{29}\text{NO}_5\text{S}$: 586.1659, found: 586.1661.

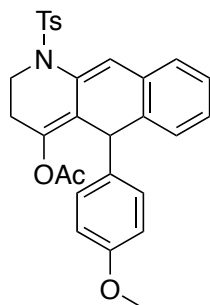
1-Tosyl-5-(4-(trifluoromethyl)phenyl)-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5d)



Yield: 40%, 36 mg; yellow solid; m.p.: 77-79 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.58 – 7.50 (m, 2H), 7.34 – 7.27 (m, 2H), 7.12 – 6.94 (m, 6H), 6.90 – 6.79 (m, 2H), 4.95 (d,

$J = 1.9$ Hz, 1H), 3.98 (dt, $J = 14.0, 5.2$ Hz, 1H), 3.70 (ddd, $J = 13.9, 8.7, 5.0$ Hz, 1H), 2.48 – 2.07 (m, 6H), 1.99 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.34, 148.75, 144.76, 143.89, 136.81, 134.68, 131.37, 129.73, 128.52 – 127.41 (m), 127.37 (d, $J_{\text{C-F}} = 6.4$ Hz), 125.49 (q, $J_{\text{C-F}} = 3.9, 3.3$ Hz), 121.13, 121.01, 44.80, 43.37, 26.70, 21.46, 20.80. IR (NaCl, neat) ν : 2924, 2855, 1764, 1616, 1597, 1322, 1158, 1116, 1066, 1011, 802, 711, 676, 658 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{24}\text{F}_3\text{NO}_4\text{S}$: 562.1270, found: 562.1268.

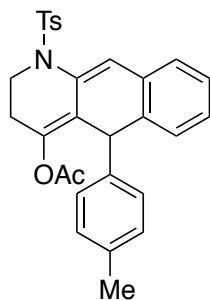
5-(4-Methoxyphenyl)-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5e)



Yield: 32%, 16 mg; yellow solid; m.p.: 76-79 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.60 – 7.46 (m, 2H), 7.11 – 7.01 (m, 4H), 6.96 (td, $J = 7.4, 1.7$ Hz, 1H), 6.84 – 6.76 (m, 3H), 6.66 – 6.50 (m, 2H), 4.84 (d, $J = 2.0$ Hz, 1H), 3.94 (d, $J = 14.0$ Hz, 1H), 3.83 – 3.75 (m, 1H), 3.67 (s, 4H), 2.31 (s, 3H), 2.24 – 2.01 (m, 2H), 1.99 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.58, 158.07, 144.07, 143.63, 137.74, 136.96, 136.20, 131.25, 129.76, 129.70, 128.48, 128.09, 127.77, 127.52, 127.35, 126.87, 122.14, 121.14, 113.81, 55.16, 44.27, 43.44, 26.64, 21.59, 20.85. IR (NaCl, neat) ν : 2930, 2836, 1761, 1597, 1508, 1449, 1342, 1291, 1249, 1197, 1157, 1116, 1089, 1031, 1010, 902, 876,

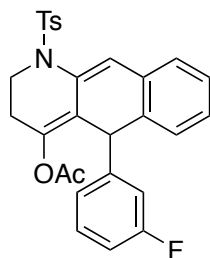
727, 656 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_5\text{S}$: 524.1502, found: 524.1506.

5-(*p*-Tolyl)-1-tosyl-1,2,3,5-tetrahydrobenzo[*g*]quinolin-4-yl acetate (5f)



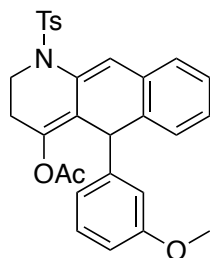
Yield: 81%, 101 mg; yellow solid; m.p.: 71-75 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.60 – 7.48 (m, 2H), 7.11 – 7.00 (m, 4H), 7.00 – 6.75 (m, 7H), 4.85 (d, $J = 2.0$ Hz, 1H), 3.92 (dt, $J = 14.0, 5.3$ Hz, 1H), 3.69 (ddd, $J = 13.8, 8.5, 5.0$ Hz, 1H), 2.29 (s, 3H), 2.18 (s, 3H), 2.16 – 2.00 (m, 2H), 1.96 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.59, 144.14, 143.65, 142.43, 136.98, 136.14, 135.92, 131.27, 129.83, 129.72, 129.19, 128.51, 127.77, 127.55, 127.36, 126.99, 126.88, 122.04, 121.15, 44.80, 43.43, 26.67, 21.60, 21.07, 20.85. IR (NaCl, neat) ν : 3021, 2921, 1753, 1701, 1595, 1449, 1342, 1197, 1157, 1116, 1088, 1010, 903, 812, 752, 726, 707, 656 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1556.

5-(3-Fluorophenyl)-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5g)



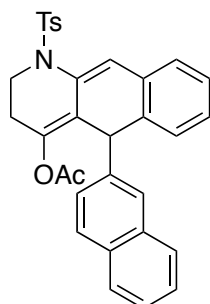
Yield: 54%, 103 mg; yellow solid; m.p.: 73-76 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.59 – 7.49 (m, 2H), 7.12 – 6.98 (m, 5H), 6.95 (td, $J = 7.4, 1.8$ Hz, 1H), 6.86 (ddd, $J = 7.6, 1.3, 0.7$ Hz, 1H), 6.81 (s, 1H), 6.77 – 6.61 (m, 2H), 6.59 (ddd, $J = 9.9, 2.6, 1.7$ Hz, 1H), 4.88 (d, $J = 2.0$ Hz, 1H), 4.02 – 3.83 (m, 1H), 3.67 (ddd, $J = 13.8, 8.8, 4.8$ Hz, 1H), 2.46 – 1.93 (m, 8H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.46, 162.74 (d, $J_{\text{C-F}} = 247.5$ Hz), 147.49 (d, $J_{\text{C-F}} = 7.1$ Hz), 144.71, 143.97, 136.77, 135.01, 131.30, 129.80 (m), 129.78, 129.29, 128.95, 122.85 (d, $J_{\text{C-F}} = 2.8$ Hz), 127.95, 127.59, 127.26, 127.24, 122.85, 121.32, 120.91, 117.00, 114.14 (d, $J_{\text{C-F}} = 21.6$ Hz), 113.44 (d, $J_{\text{C-F}} = 21.3$ Hz), 44.76, 43.38, 26.71, 21.55, 20.78. IR (NaCl, neat) ν : 3063, 2924, 1753, 1702, 1587, 1484, 1343, 1156, 1088, 1010, 813, 780, 754, 722, 668, 657 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{FNO}_4\text{S}$: 512.1302, found: 512.1306.

5-(3-Methoxyphenyl)-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5h)



Yield: 58%, 52 mg; white solid; m.p.: 160-163 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.54 (d, $J = 8.4$ Hz, 2H), 7.11 – 6.88 (m, 7H), 6.79 (s, 1H), 6.61 (dq, $J = 8.5, 1.4, 1.0$ Hz, 2H), 6.52 – 6.45 (m, 1H), 4.86 (d, $J = 2.0$ Hz, 1H), 3.91 – 3.83 (m, 1H), 3.75 – 3.63 (m, 4H), 2.29 (s, 3H), 2.07 (dddd, $J = 11.7, 6.3, 3.7, 1.5$ Hz, 2H), 1.98 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.56, 159.57, 146.54, 144.29, 143.68, 137.01, 135.66, 131.38, 129.88, 129.73, 129.50, 128.52, 127.82, 127.55, 127.22, 127.02, 121.80, 121.35, 119.60, 113.59, 111.27, 55.10, 45.15, 43.44, 26.57, 21.58, 20.83. IR (NaCl, neat) ν : 3024, 2936, 2837, 1758, 1660, 11594, 1490, 1341, 1261, 1151, 1088, 1010, 810, 773, 756, 711, 690, 655 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_5\text{S}$: 524.1502, found: 524.1498.

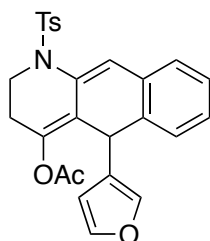
5-(Naphthalen-2-yl)-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5i)



Yield: 85%, 135 mg; yellow solid; m.p.: 80-85 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.67 – 7.60 (m, 2H), 7.54 – 7.49 (m, 2H), 7.49 – 7.45 (m, 2H), 7.37 – 7.28 (m, 2H), 7.11 (dt,

$J = 7.9, 1.1$ Hz, 1H), 7.05 – 6.99 (m, 1H), 6.96 (dd, $J = 8.5, 1.8$ Hz, 1H), 6.92 – 6.83 (m, 4H), 5.06 (d, $J = 2.0$ Hz, 1H), 3.91 (dt, $J = 14.0, 5.2$ Hz, 1H), 3.74 – 3.64 (m, 1H), 2.18 (s, 3H), 2.15 – 1.96 (m, 2H), 1.94 (d, $J = 6.8$ Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.50, 144.56, 143.69, 142.43, 136.96, 135.59, 133.33, 132.24, 131.51, 129.92, 129.73, 129.70, 128.85, 128.61, 127.88, 127.65, 127.63, 127.23, 127.12, 126.18, 125.71, 125.63, 125.38, 121.67, 121.39, 45.34, 43.47, 26.68, 21.52, 20.83. IR (NaCl, neat) ν : 3051, 3020, 2924, 1752, 1596, 1491, 1342, 1184, 1156, 1114, 1088, 1010, 808, 747, 709, 669, 657 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{32}\text{H}_{27}\text{NO}_4\text{S}$: 544.1553, found: 544.1557.

5-(Furan-3-yl)-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5j)

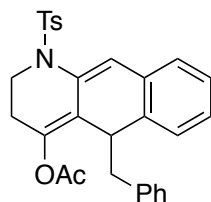


Yield: 61%, 60 mg; white solid; m.p.: 70–74 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.50 (d, $J = 8.3$ Hz, 2H), 7.14 – 6.98 (m, 7H), 6.77 (s, 1H), 6.73 – 6.49 (m, 1H), 5.90 (dd, $J = 1.9, 0.9$ Hz, 1H), 4.82 (s, 1H), 3.95 (dt, $J = 14.0, 5.2$ Hz, 1H), 3.69 (ddd, $J = 13.9, 8.5, 5.3$ Hz, 1H), 2.30 (s, 3H), 2.24 – 2.10 (m, 2H), 2.06 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.92, 143.81, 143.77, 142.59, 138.82, 136.85, 134.54, 131.74, 129.67, 129.57, 128.48, 128.19, 127.74, 127.36, 127.29, 127.19, 121.16, 121.08, 109.87, 43.65, 35.59, 26.39, 21.56, 20.86. IR (NaCl, neat) ν : 3065, 3021, 2926, 1761, 1654, 1597, 1542, 1491,

1449, 1341, 1197, 1155, 1115, 1089, 1010, 901, 872, 812, 755, 693, 655 cm^{-1} ; HRMS

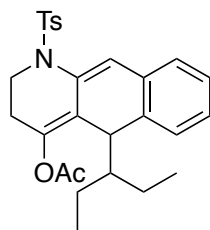
(ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{26}\text{H}_{23}\text{NO}_5\text{S}$: 484.1189, found: 484.1190.

5-Benzyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5k)



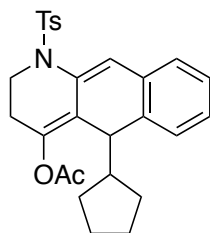
Yield: 76%, 74 mg; white solid; m.p. 60-63 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.67 – 7.62 (m, 2H), 7.18 – 7.13 (m, 3H), 7.09 – 7.02 (m, 4H), 6.84 (ddd, $J = 7.5, 5.5, 3.4$ Hz, 1H), 6.71 (d, $J = 0.7$ Hz, 1H), 6.67 – 6.59 (m, 2H), 6.40 (dt, $J = 7.5, 0.9$ Hz, 1H), 3.88 – 3.76 (m, 3H), 2.47 (dd, $J = 7.0, 1.6$ Hz, 2H), 2.31 – 2.10 (m, 5H), 2.02 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 168.09, 143.98, 143.27, 138.61, 137.01, 135.16, 131.99, 129.79, 129.76, 129.65, 127.97, 127.90, 127.52, 127.39, 126.94, 126.41, 126.31, 121.76, 120.06, 45.36, 43.89, 42.20, 26.68, 21.55, 20.84. IR (NaCl, neat) ν : 3059, 3025, 2921, 1752, 1654, 1597, 1492, 1452, 1341, 1197, 1156, 1089, 1010, 887, 812, 751, 732, 698, 670, 659 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. For $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1556.

5-(Pentan-3-yl)-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5l)



Yield: 75%, 90 mg; white solid; m.p: 69-72 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.67 – 7.54 (m, 2H), 7.19 – 7.12 (m, 2H), 7.10 – 6.95 (m, 3H), 6.87 (ddd, $J = 7.5, 1.4, 0.7$ Hz, 1H), 6.68 (s, 1H), 3.94 – 3.87 (m, 1H), 3.83 (dd, $J = 2.6, 1.4$ Hz, 1H), 3.77 – 3.69 (m, 1H), 2.30 (s, 3H), 2.28 – 2.23 (m, 2H), 2.07 (s, 3H), 1.13 – 1.04 (m, 3H), 0.89 – 0.78 (m, 4H), 0.62 (t, $J = 4.4$ Hz, 4H). ^{13}C NMR (101 MHz, CDCl_3) δ 168.21, 143.82, 143.48, 136.85, 134.36, 133.62, 131.40, 129.70, 128.18, 127.40, 127.17, 126.64, 126.13, 123.09, 119.26, 51.18, 43.98, 39.79, 27.29, 21.83, 21.55, 21.42, 20.96, 11.96, 11.84. IR (NaCl, neat) ν : 2958, 2930, 2874, 1765, 1654, 1596, 1489, 1458, 1343, 1221, 1186, 1157, 1119, 1088, 1044, 1011, 952, 932, 814, 802, 755, 717, 675, 653 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{27}\text{H}_{31}\text{NO}_4\text{S}$: 488.1866, found: 488.1869.

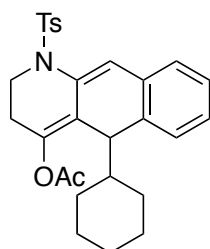
5-Cyclopentyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5m)



Yield: 87%, 135 mg; white solid; m.p: 156-160 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.65 (dd, $J = 8.4, 1.8$ Hz, 2H), 7.26 – 6.91 (m, 6H), 6.74 (s, 1H), 3.84 (t, $J = 6.1$ Hz, 2H), 3.46 (d, $J = 8.2$ Hz, 1H), 2.57 – 2.10 (m, 5H), 2.02 (d, $J = 42.2$ Hz, 3H), 1.57 – 0.88

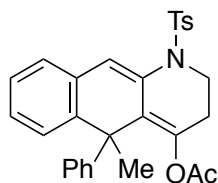
(m, 9H). ^{13}C NMR (101 MHz, CDCl_3) δ 168.22, 143.81, 143.28, 136.62, 135.68, 132.62, 130.58, 129.89, 129.61, 129.32, 128.60, 128.02, 127.67, 127.49, 127.14, 126.72, 126.14, 122.29, 119.09, 47.91, 44.30, 43.71, 30.25, 27.01, 24.05, 23.98, 21.54, 20.95. IR (NaCl, neat) ν : 2945, 2865, 1761, 1701, 1597, 1484, 1338, 1222, 1200, 1158, 1087, 1016, 999, 929, 815, 803, 746, 708, 690, 661 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{27}\text{H}_{29}\text{NO}_4\text{S}$: 486.1710, found: 486.1712.

5-Cyclohexyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5n)



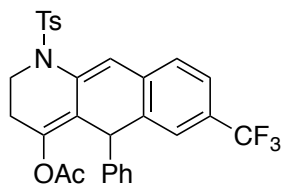
Yield: 89%, 65 mg; white solid; m.p.: 117-120 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.69 – 7.62 (m, 2H), 7.19 – 7.15 (m, 2H), 7.09 – 6.96 (m, 3H), 6.91 (ddd, $J = 7.4, 1.3, 0.7$ Hz, 1H), 6.70 (s, 1H), 3.92 – 3.78 (m, 2H), 3.48 (d, $J = 5.2$ Hz, 1H), 2.39 – 2.23 (m, 5H), 2.08 (s, 3H), 1.49 – 1.38 (m, 2H), 1.38 – 1.26 (m, 2H), 1.25 – 1.01 (m, 2H), 0.97 – 0.68 (m, 4H), 0.56 (dd, $J = 12.4, 3.3$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 168.24, 143.89, 143.82, 136.68, 134.53, 133.30, 131.13, 129.67, 128.60, 128.41, 127.50, 126.96, 126.69, 125.99, 121.85, 118.87, 46.74, 45.33, 44.11, 30.51, 29.52, 27.27, 26.79, 26.53, 26.16, 21.56, 20.93. IR (NaCl, neat) ν : 2929, 2850, 1746, 1597, 1338, 1184, 1161, 998, 939, 921, 861, 801, 755, 708, 688, 659 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{31}\text{NO}_4\text{S}$: 500.1866, found: 500.1870.

5-Methyl-5-phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5o)



Yield: 65%, 15 mg; white solid; m.p: 88-90 °C; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, Chloroform-*d*) δ 7.71 – 7.58 (m, 2H), 7.23 – 7.14 (m, 2H), 7.14 – 6.92 (m, 7H), 6.92 – 6.79 (m, 2H), 6.46 (ddt, J = 7.9, 1.3, 0.6 Hz, 1H), 3.93 (ddd, J = 14.2, 6.5, 5.2 Hz, 1H), 3.74 (ddd, J = 14.2, 7.5, 5.0 Hz, 1H), 2.43 (dddd, J = 17.8, 7.5, 5.3, 1.0 Hz, 1H), 2.34 (s, 3H), 1.97 – 1.85 (m, 1H), 1.57 (s, 3H), 1.37 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.13, 149.05, 144.42, 143.77, 143.35, 136.88, 129.69, 129.29, 128.76, 128.28, 127.91, 127.69, 127.67, 127.41, 127.32, 127.15, 126.22, 125.77, 121.82, 121.80, 47.59, 43.12, 28.74, 27.34, 21.64, 21.61, 20.08. IR (neat, cm^{-1}) ν : 1758, 1634, 1597, 1492, 1445, 1342, 1190, 1159, 1122, 1088, 1020, 1007, 960, 893, 864, 813, 749, 731, 700. 666. HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1553, found: 508.1553.

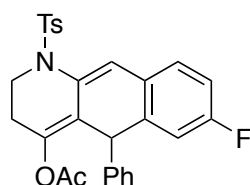
5-Phenyl-1-tosyl-7-(trifluoromethyl)-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5p)



Yield: 82%, 109 mg; white solid; m.p: 64-66 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.58 – 7.49 (m, 2H), 7.27 (dd, J = 8.1, 1.8 Hz, 1H), 7.20 – 6.99 (m, 7H), 6.97 – 6.79 (m, 3H),

4.93 (d, $J = 2.0$ Hz, 1H), 3.89 (dt, $J = 13.9, 5.5$ Hz, 1H), 3.74 (ddd, $J = 13.8, 8.0, 5.1$ Hz, 1H), 2.28 (s, 3H), 2.21 – 2.03 (m, 2H), 1.96 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.44, 145.80, 144.45, 144.03, 136.63, 136.14, 134.91, 132.34, 129.85, 128.75, 127.72, 127.31, 127.00, 126.91, δ 125.30 (dd, $J_{\text{C-F}} = 8.5, 4.7$ Hz), 123.96 (q, $J_{\text{C-F}} = 4.0$ Hz), 121.16, 118.70, 44.97, 43.44, 26.82, 21.59, 21.57, 20.75. IR (neat, cm^{-1}) ν : 3060, 3027, 2927, 1763, 1708, 1597, 1328, 1159, 1118, 1070, 1089, 1159, 1070, 1089, 1012, 943, 924, 879, 909, 813, 748, 700, 666; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{24}\text{F}_3\text{NO}_4\text{S}$: 562.1270, found: 562.1274.

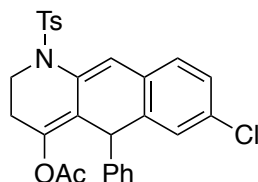
7-Fluoro-5-phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5q)



Yield: 70%, 54 mg; yellow solid; m.p.: 70-74 °C; ^1H NMR (400 MHz, CDCl_3) ^1H NMR (400 MHz, Chloroform- d) δ 7.55 (d, $J = 8.3$ Hz, 2H), 7.11 – 7.03 (m, 6H), 6.94 – 6.85 (m, 2H), 6.83 – 6.70 (m, 2H), 6.63 – 6.55 (m, 1H), 4.85 (d, $J = 2.4$ Hz, 1H), 4.03 – 3.89 (m, 1H), 3.69 (ddd, $J = 13.9, 8.8, 4.9$ Hz, 1H), 2.31 (s, 3H), 2.21 – 1.99 (m, 2H), 1.94 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.45, δ 161.98 (d, $J_{\text{C-F}} = 247.6$ Hz), 144.75, 144.57, 144.55, 143.76, 138.11, 136.88, 131.32 (d, $J_{\text{C-F}} = 8.2$ Hz), 130.63, 129.75, 129.25, 129.19 (d, $J_{\text{C-F}} = 5.6$ Hz), 129.05, 128.66, 127.92, 127.52 (d, $J_{\text{C-F}} = 3.1$ Hz), 127.33, 127.11, 126.77, 121.13, 120.25, 115.72 (d, $J_{\text{C-F}} = 22.7$ Hz), 114.05 (d, $J_{\text{C-F}} = 21.8$ Hz), 45.32, 43.34, 26.61, 21.59, 20.75. IR (NaCl, neat) ν : 3026, 2924, 1760, 1697, 1596, 1493, 1342, 1193, 1150, 1089, 1011, 968, 929, 878, 812, 734,

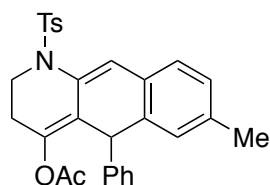
671, 657 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{FNO}_4\text{S}$: 512.1302, found: 512.1303.

7-Chloro-5-phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5r)



Yield: 59%, 36 mg; yellow solid; m.p: 78-81°C; ^1H NMR (400 MHz, CDCl_3) δ 7.54 (d, $J = 8.3$ Hz, 2H), 7.11 – 7.03 (m, 4H), 7.01 (d, $J = 1.2$ Hz, 2H), 6.93 – 6.84 (m, 3H), 6.79 (s, 1H), 4.84 (d, $J = 2.1$ Hz, 1H), 3.97 – 3.84 (m, 1H), 3.79 – 3.67 (m, 1H), 2.30 (s, 3H), 2.24 – 1.98 (m, 3H), 1.95 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.42, 144.82, 144.64, 143.83, 137.43, 136.79, 132.79, 130.24, 129.91, 129.77, 128.78, 128.69, 127.32, 127.22, 127.08, 126.80, 121.21, 119.81, 45.02, 43.35, 26.67, 21.59, 20.76. IR (neat, cm^{-1}) ν : 3059, 3027, 2924, 1761, 1648, 1596, 1560, 1489, 1452, 1406, 1342, 1150, 1126, 1088, 1044, 1011, 919, 877, 812, 705, 692, 668, 655; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{28}\text{H}_{24}\text{ClNO}_4\text{S}$: 528.1007, found: 528.1005.

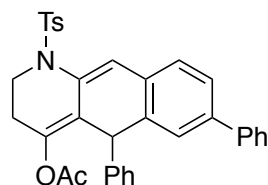
7-Methyl-5-phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5s)



Yield: 46%, 16 mg; yellow solid; m.p: 78-80 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.59 – 7.49 (m, 2H), 7.09 – 7.00 (m, 5H), 6.95 – 6.89 (m, 2H), 6.88 – 6.82 (m, 1H), 6.81 (s, 1H), 6.73 – 6.64 (m, 1H), 4.84 (d, $J = 2.0$ Hz, 1H), 3.93 (dt, $J = 14.0, 5.2$ Hz, 1H),

3.67 (ddd, $J = 13.9, 8.8, 5.0$ Hz, 1H), 2.38 – 1.88 (m, 12H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.54, 145.32, 143.78, 143.58, 137.48, 136.98, 135.74, 129.68, 129.35, 128.85, 128.64, 128.50, 127.76, 127.75, 127.34, 127.21, 126.42, 122.03, 121.55, 45.19, 43.38, 26.53, 21.58, 21.30, 20.80. IR (NaCl, neat) ν : 2922, 2853, 1696, 1593, 1492, 1345, 1157, 1088, 1032, 943, 812, 774, 748, 699, 663 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{29}\text{H}_{27}\text{NO}_4\text{S}$: 508.1550, found: 508.1553.

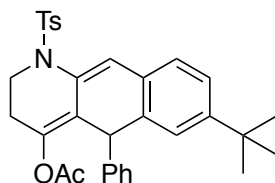
5,7-Diphenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5t)



Yield: 46%, 33 mg; white solid; m.p: 95-97 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.65 – 7.47 (m, 3H), 7.40 – 7.36 (m, 2H), 7.33 – 7.25 (m, 3H), 7.24 – 7.20 (m, 1H), 7.15 – 7.11 (m, 1H), 7.09 – 7.02 (m, 4H), 6.96 (dt, $J = 6.8, 2.2$ Hz, 2H), 6.88 (s, 1H), 4.95 (d, $J = 2.0$ Hz, 1H), 3.95 (dt, $J = 14.0, 5.3$ Hz, 1H), 3.72 (ddd, $J = 13.8, 8.6, 5.0$ Hz, 1H), 2.36 – 1.91 (m, 8H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.53, 145.18, 144.43, 143.71, 140.50, 140.18, 136.91, 136.24, 130.54, 129.91, 129.75, 128.70, 128.58, 128.20, 127.36, 127.30, 127.16, 126.79, 126.54, 125.70, 121.92, 120.76, 45.33, 43.44, 26.67, 21.60, 20.81. IR (neat, cm^{-1}) ν : 3059, 3026, 2925, 1762, 1648, 1597, 1484, 1450, 1343, 1196, 1159, 1128, 1089, 1011, 920, 879, 813, 762, 741, 716, 697, 673, 655; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{34}\text{H}_{29}\text{NO}_4\text{S}$: 570.1710, found: 570.1715.

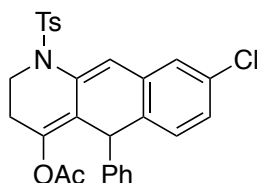
7-(*tert*-Butyl)-5-phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate

(5u)



Yield: 72%, 25 mg; yellow solid; m.p: 78-82 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.53 (d, J = 8.3 Hz, 2H), 7.08 – 7.01 (m, 6H), 6.96 – 6.88 (m, 3H), 6.81 (s, 1H), 5.22 (s, 1H), 3.98 – 3.88 (m, 1H), 3.71 – 3.61 (m, 1H), 2.03 (d, J = 48.8 Hz, 5H), 1.25 (s, 3H), 1.12 (s, 9H). ^{13}C NMR (101 MHz, CDCl_3) δ 167.61, 150.66, 145.34, 143.81, 143.57, 136.96, 135.32, 129.69, 129.11, 128.76, 128.43, 128.02, 127.45, 127.36, 127.13, 126.33, 125.64, 123.97, 122.17, 121.24, 45.39, 43.44, 34.57, 31.15, 26.60, 21.59, 20.82. IR (NaCl, neat) ν : 3027, 2953, 2866, 1758, 1686, 1596, 1492, 1450, 1342, 1157, 1088, 1011, 880, 812, 762, 696, 669, 654 cm^{-1} ; HRMS (ESI) $[\text{M} + \text{Na}]^+$ calcd. for $\text{C}_{32}\text{H}_{33}\text{NO}_4\text{S}$: 550.2023, found: 550.2021.

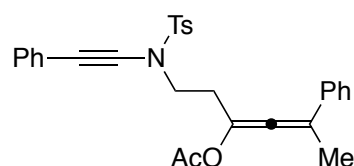
8-Chloro-5-phenyl-1-tosyl-1,2,3,5-tetrahydrobenzo[g]quinolin-4-yl acetate (5v)



Yield: 71%, 360 mg; yellow solid; m.p: 73-75 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.62 – 7.47 (m, 2H), 7.11 – 7.03 (m, 6H), 6.88 (tt, J = 4.7, 2.5 Hz, 3H), 6.79 (d, J = 8.2 Hz, 1H), 6.74 (s, 1H), 4.85 (d, J = 2.1 Hz, 1H), 3.94 – 3.81 (m, 1H), 3.72 (ddd, J = 13.7, 8.3, 4.9 Hz, 1H), 2.31 (s, 3H), 2.25 – 2.03 (m, 2H), 1.95 (s, 3H). ^{13}C NMR (101 MHz,

CDCl₃) δ 167.45, 145.23, 144.94, 143.89, 136.73, 134.10, 133.00, 132.55, 131.21, 129.86, 129.81, 128.63, 127.34, 127.20, 127.18, 127.03, 126.72, 121.41, 119.23, 44.67, 43.38, 26.79, 21.62, 20.79. IR (NaCl, neat) ν : 3060, 3026, 2923, 1762, 1701, 1596, 1343, 1290, 1158, 1087, 1011, 812, 801, 760, 698, 669, 654 cm⁻¹; HRMS (ESI) [M + Na]⁺ calcd. for C₂₈H₂₄ClNO₄S: 528.1007, found: 528.1005.

1-((4-Methyl-N-(phenylethynyl)phenyl)sulfonamido)-5-phenylhexa-3,4-dien-3-yl acetate (6o)



Yield: 71%, 150 mg; yellow oil; ¹H NMR (400 MHz, CDCl₃): ¹H NMR (400 MHz, Chloroform-*d*) δ 7.81 – 7.64 (m, 2H), 7.47 – 7.38 (m, 2H), 7.32 – 7.01 (m, 10H), 3.56 – 3.44 (m, 2H), 2.75 – 2.56 (m, 2H), 2.31 (s, 3H), 2.08 (s, 3H), 2.03 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 194.77, 168.69, 144.77, 135.83, 134.45, 131.42, 129.82, 128.42, 128.28, 127.97, 127.88, 127.76, 126.69, 122.75, 120.10, 112.44, 81.97, 71.23, 48.70, 31.02, 21.66, 21.05, 18.02. IR (neat, cm⁻¹) ν : 3054, 2922, 2853, 2233, 1960, 1750, 1597, 1493, 1442, 1364, 1205, 1167, 1126, 1089, 1069, 1015, 991, 910, 813, 754, 704, 674; HRMS (ESI) [M + H]⁺ calc. for C₂₉H₂₈NO₄S: 486.1661, found: 486.1732.

V. ^1H NMR and ^{13}C NMR Spectra

Figure S1. ^1H and ^{13}C NMR Spectra of **4a**

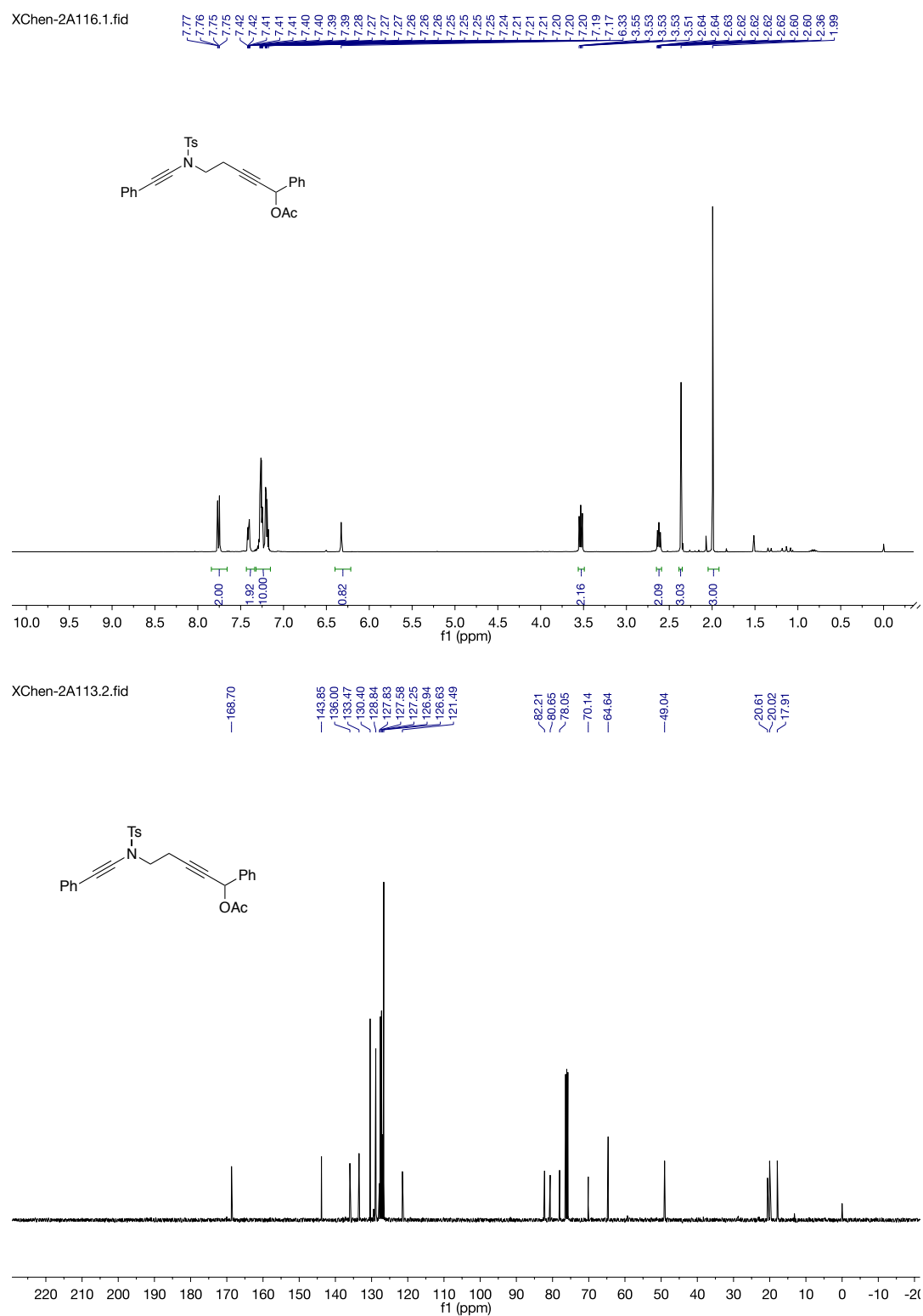


Figure S2. ^1H and ^{13}C NMR Spectra of **4b**

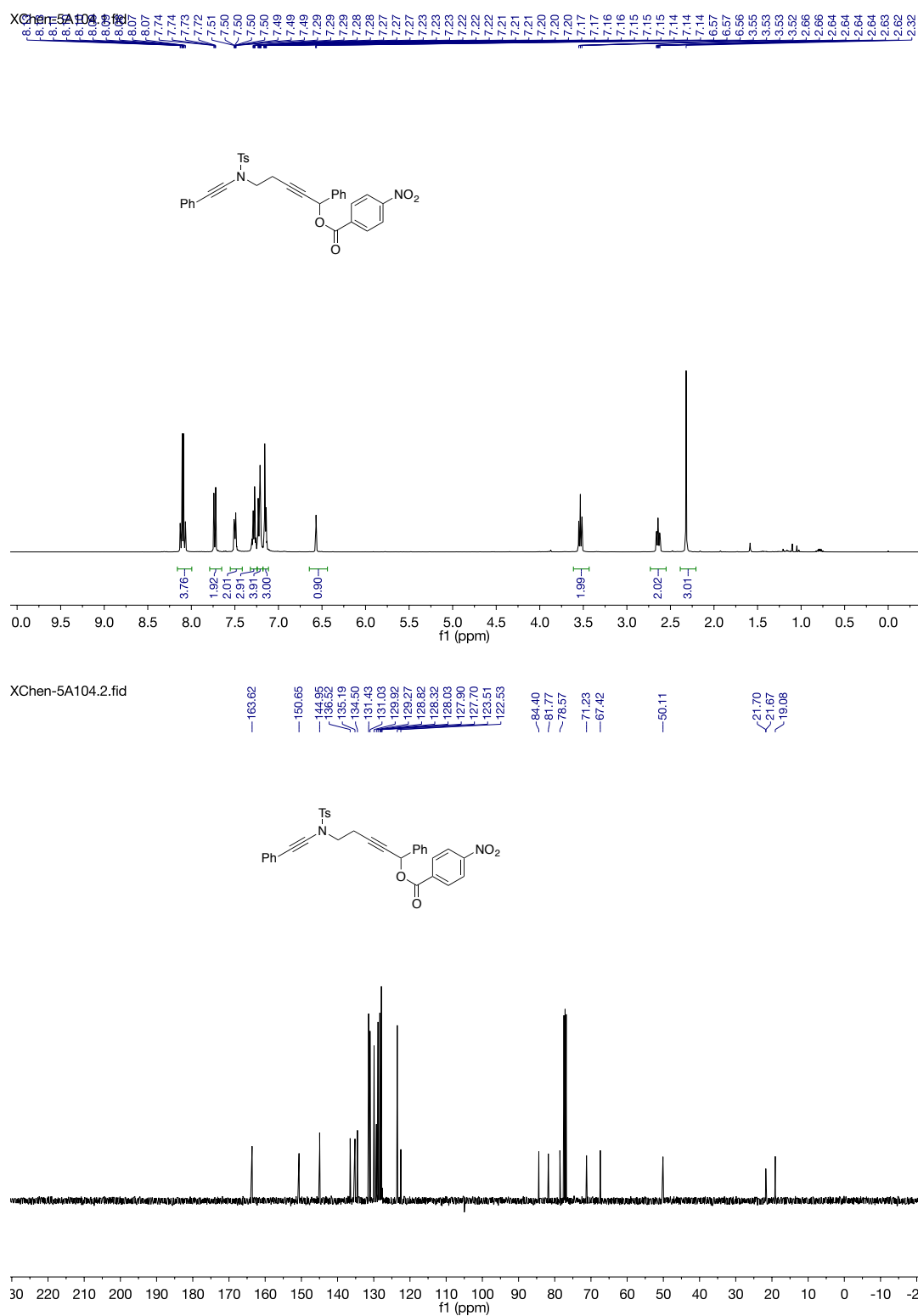


Figure S3. ^1H and ^{13}C NMR Spectra of **4c**

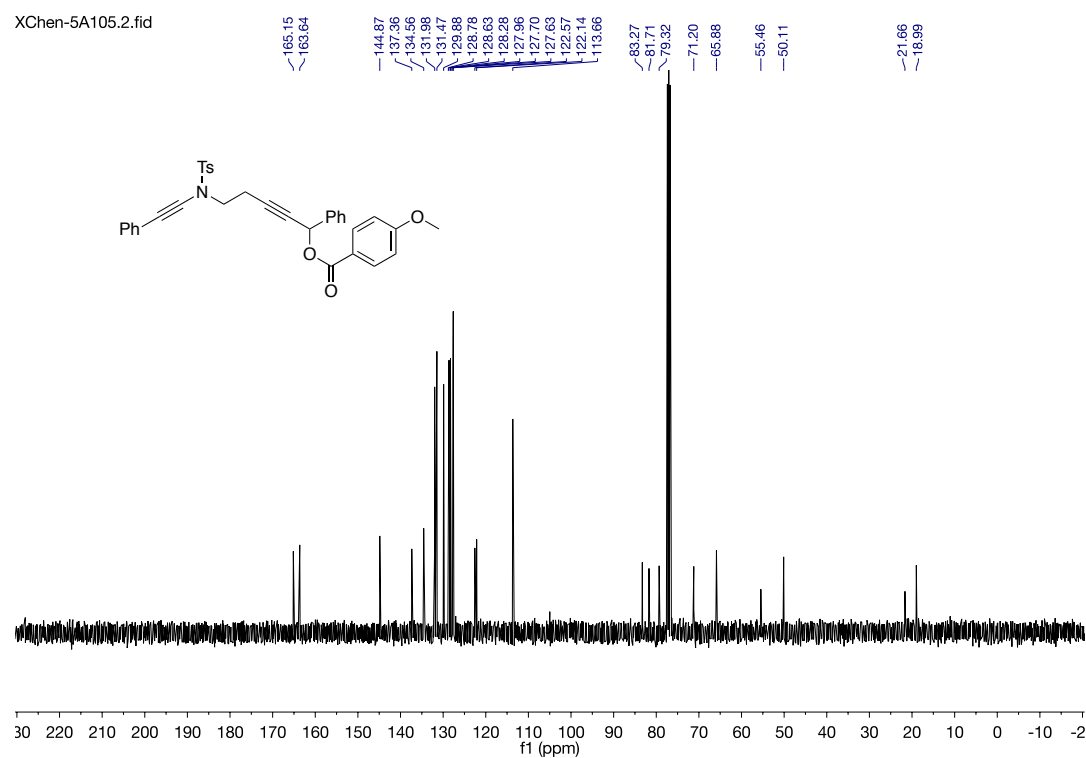
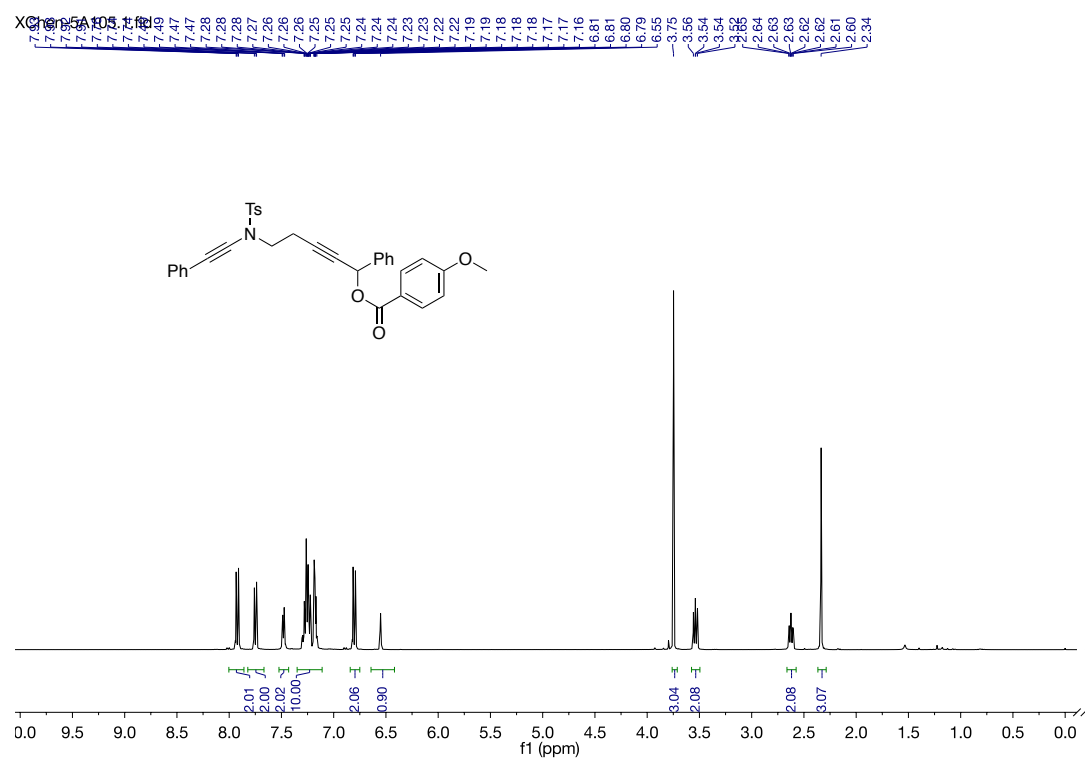


Figure S4. ^1H and ^{13}C NMR Spectra of **4d**

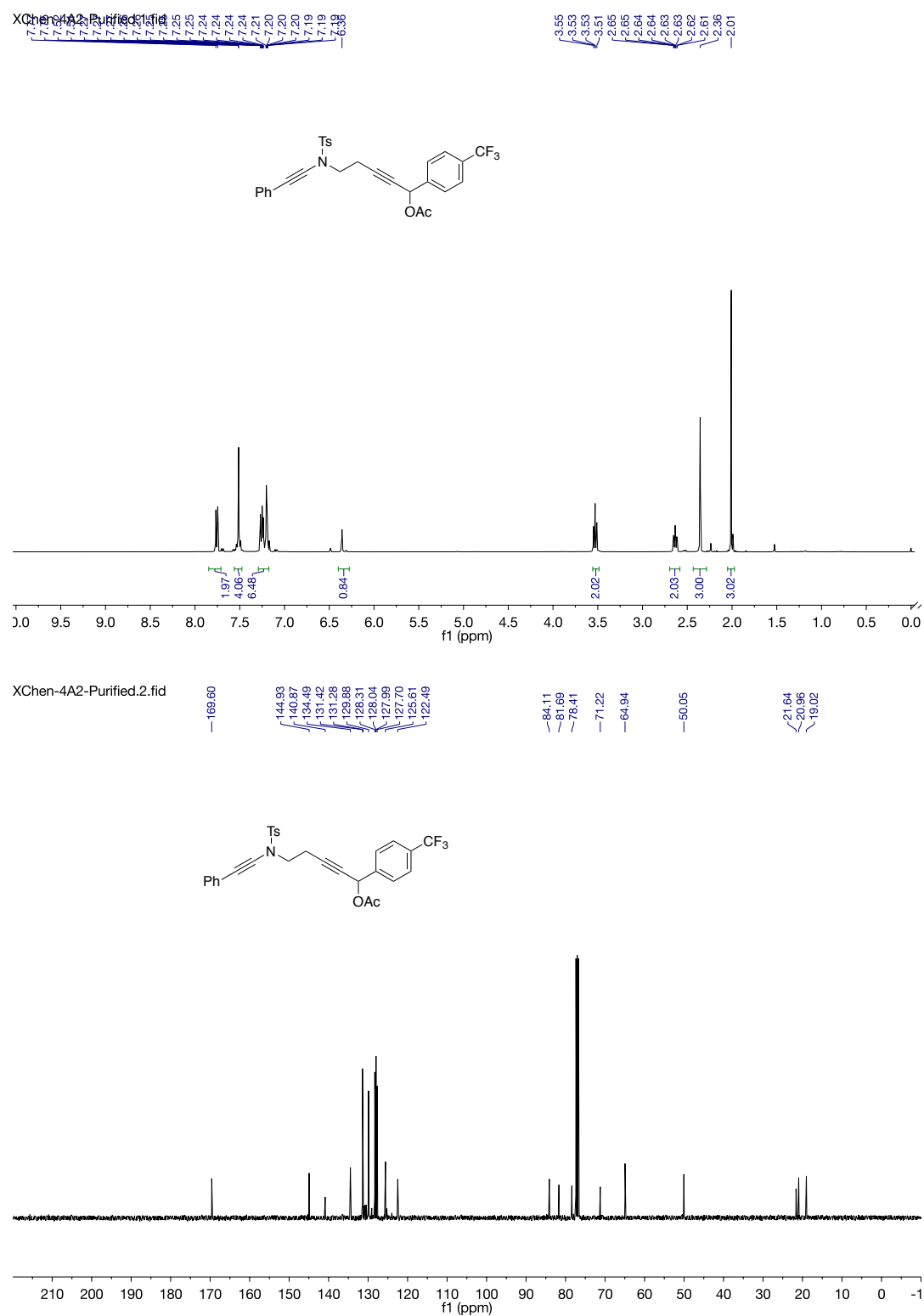


Figure S5. ^1H and ^{13}C NMR Spectra of **4e**

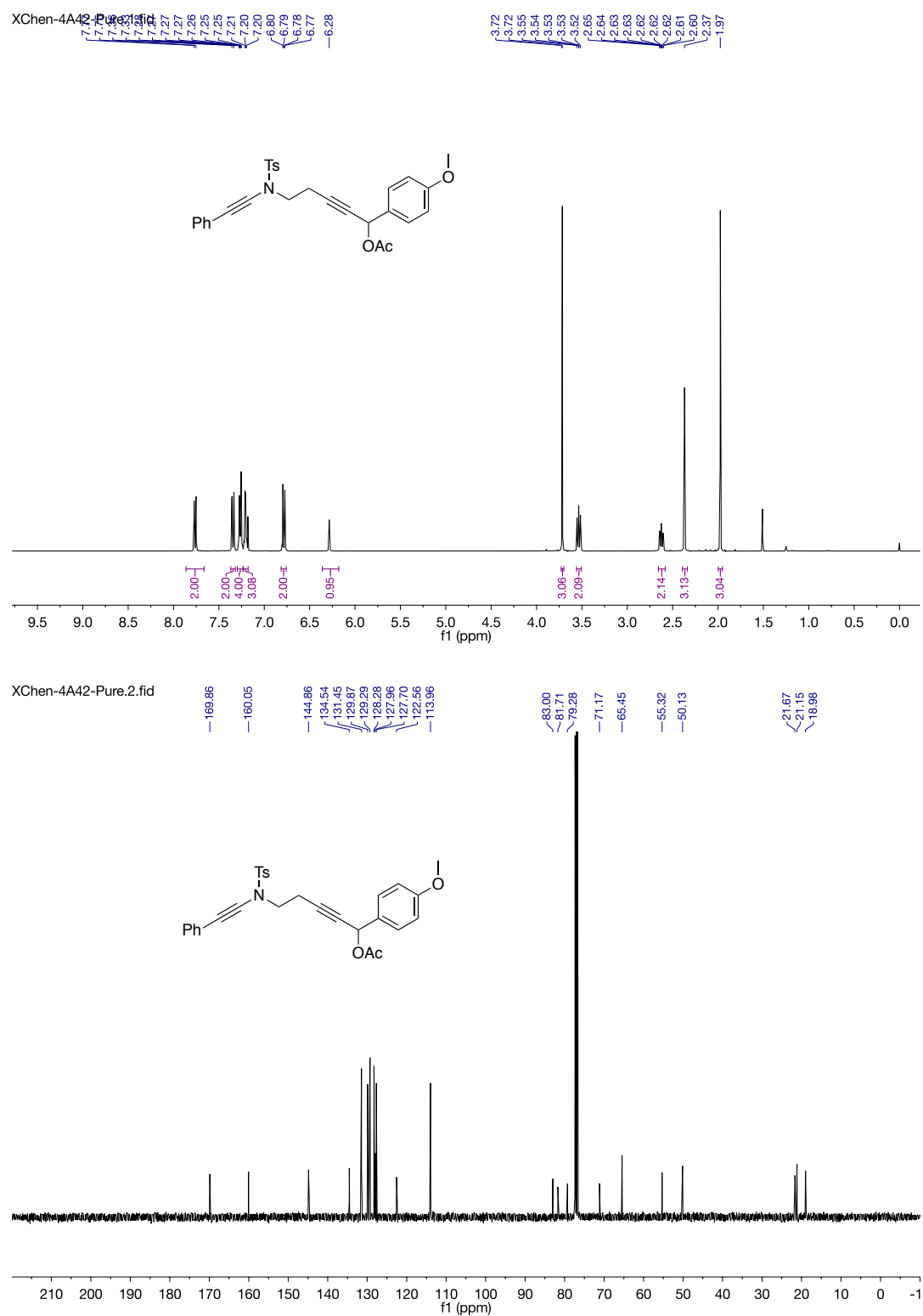


Figure S6. ^1H and ^{13}C NMR Spectra of **4f**

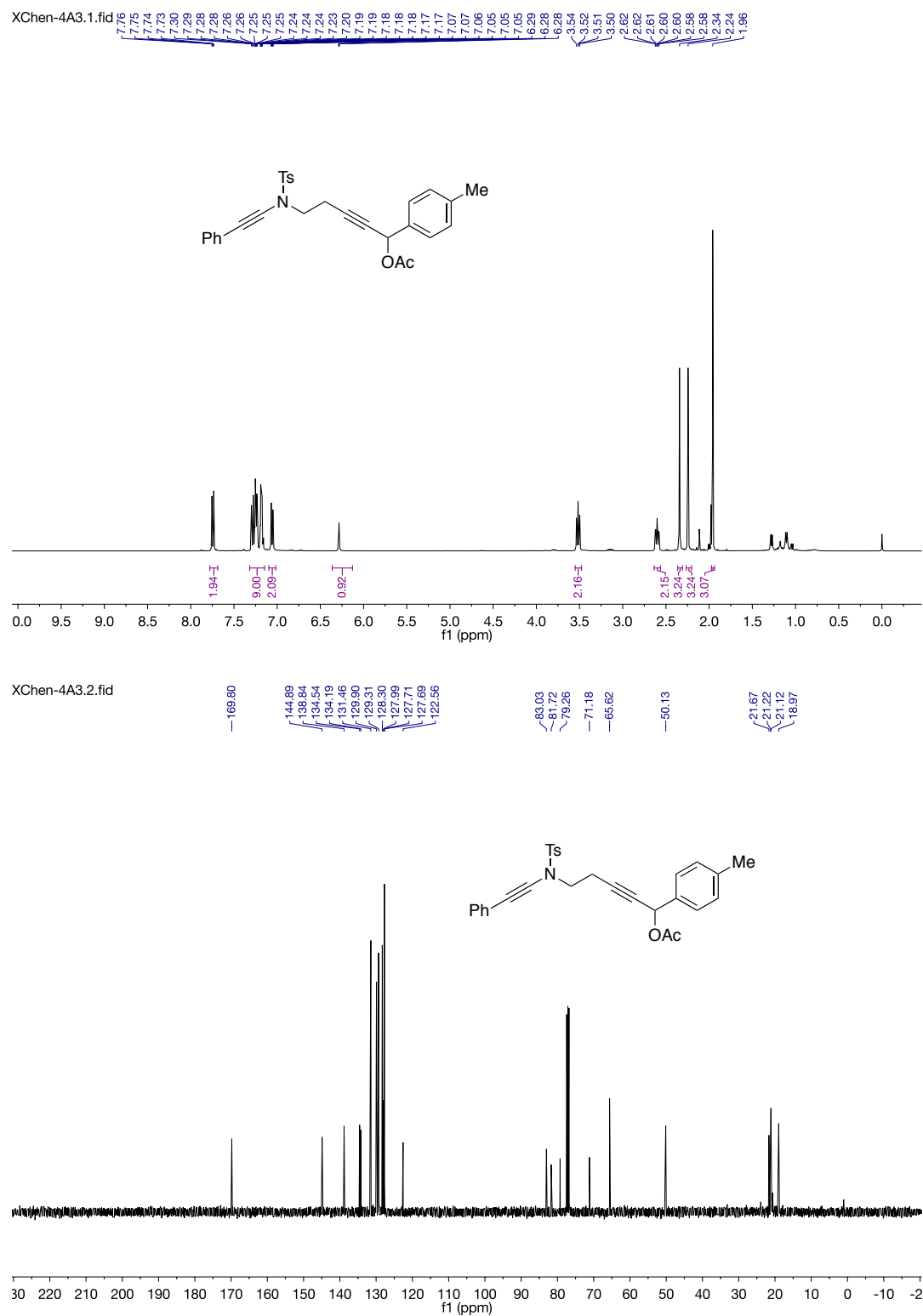


Figure S7. ^1H and ^{13}C NMR Spectra of **4g**

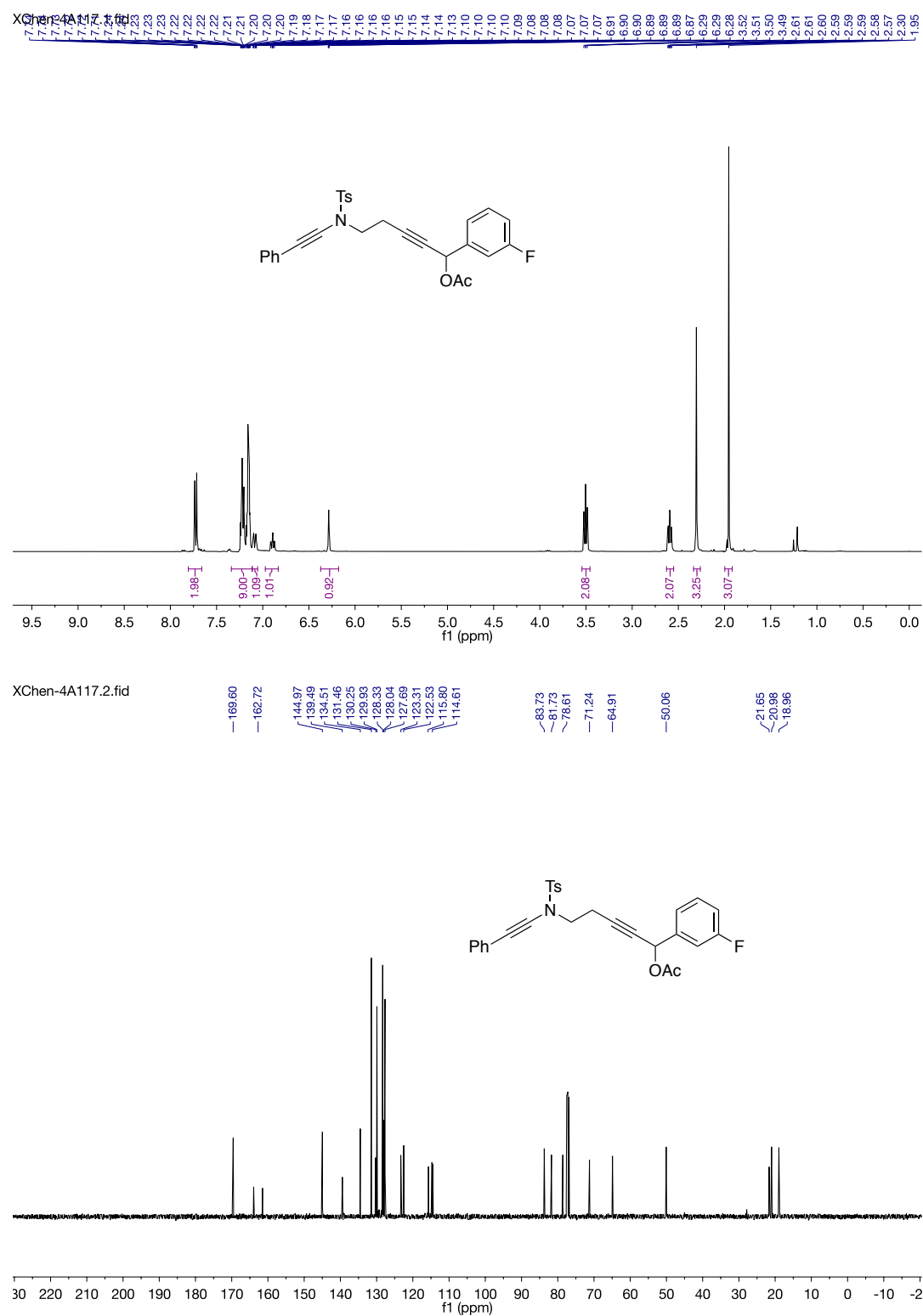


Figure S8. ^1H and ^{13}C NMR Spectra of **4h**

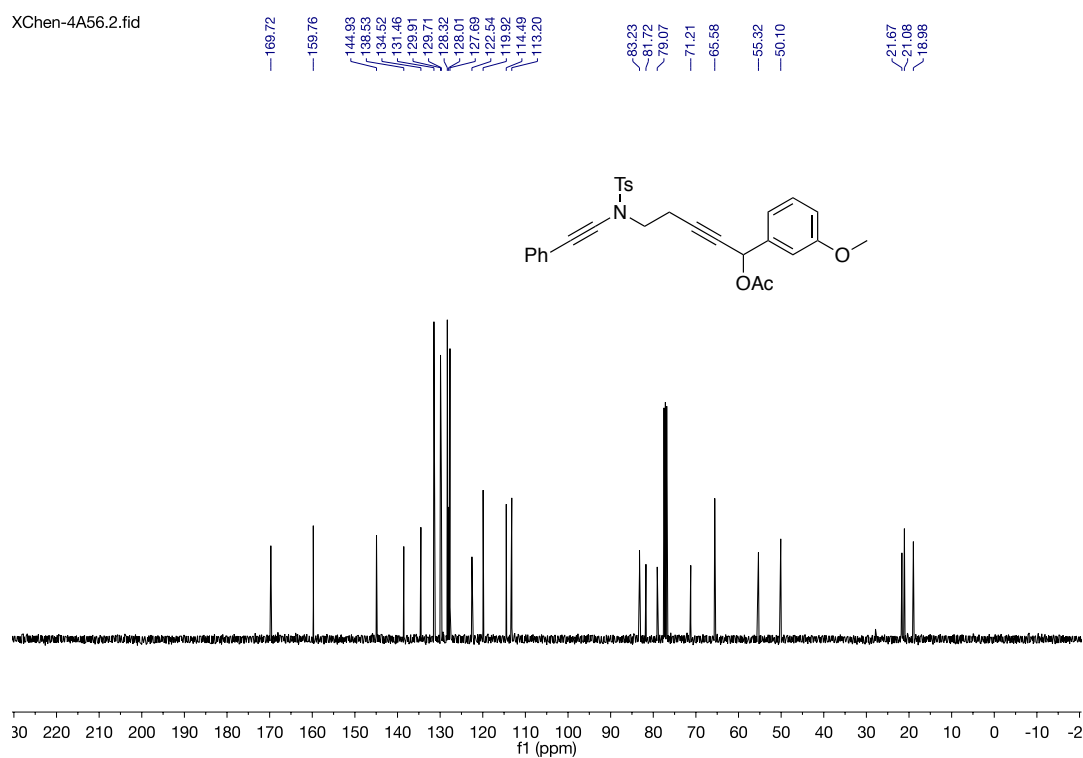
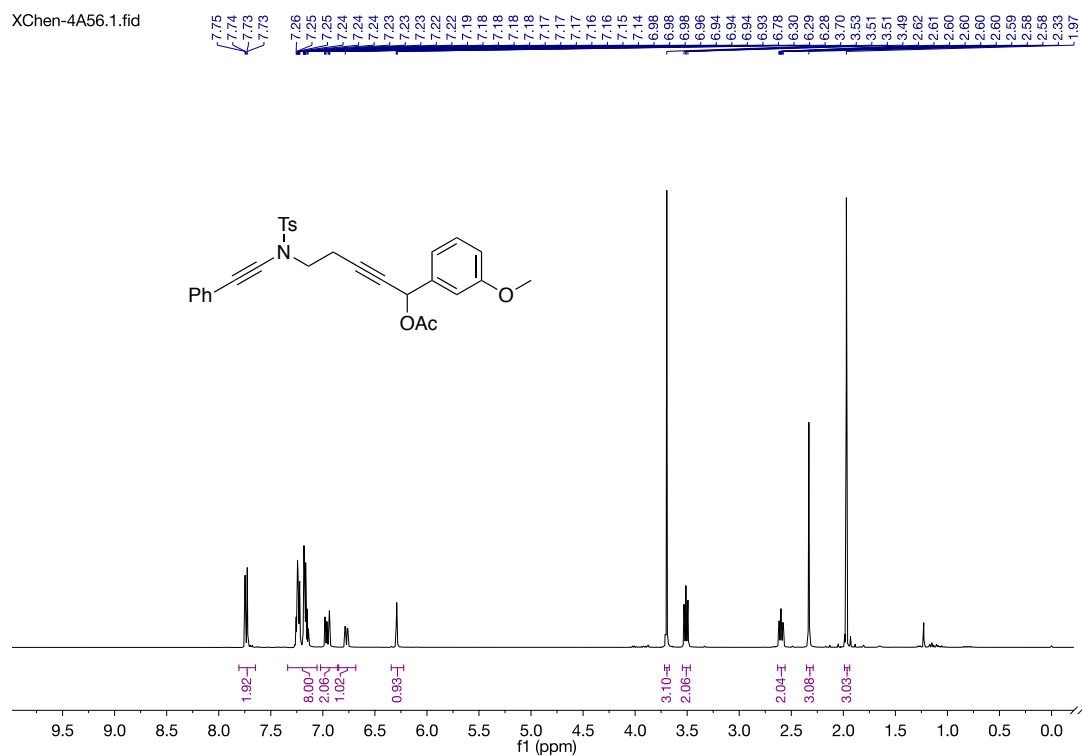


Figure S9. ^1H and ^{13}C NMR Spectra of **4i**

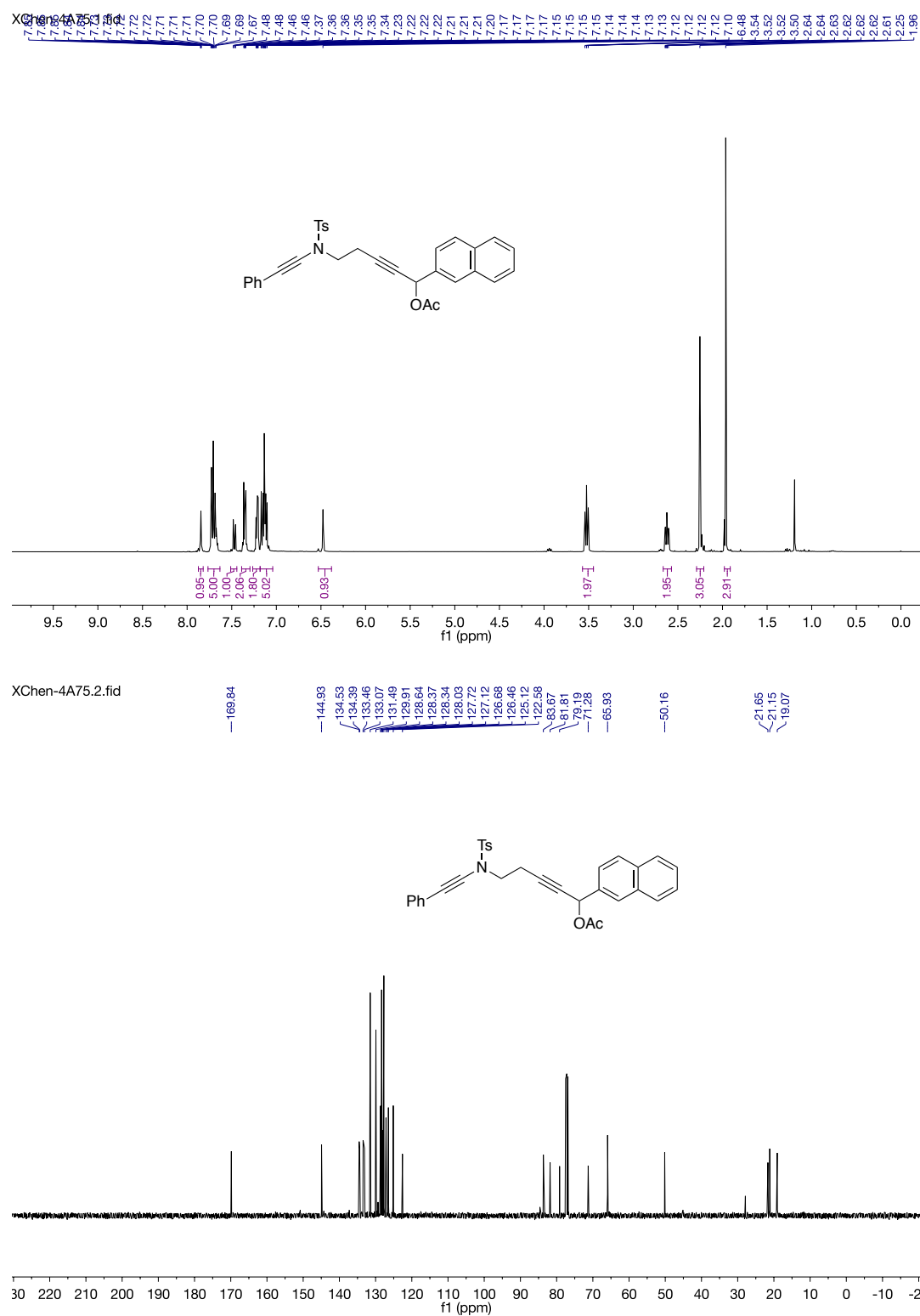


Figure S10. ^1H and ^{13}C NMR Spectra of **4j**

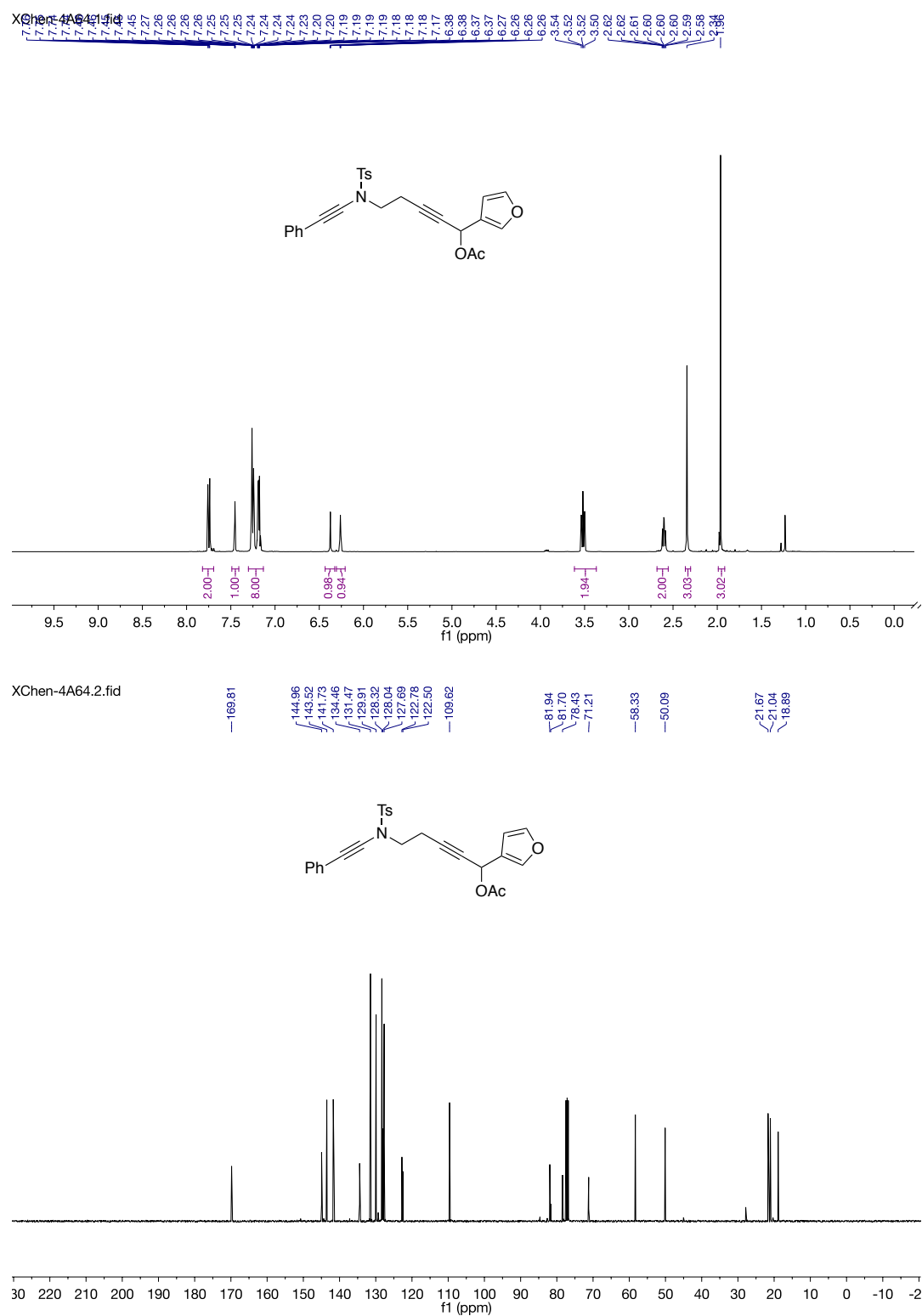


Figure S11. ^1H and ^{13}C NMR Spectra of **4k**

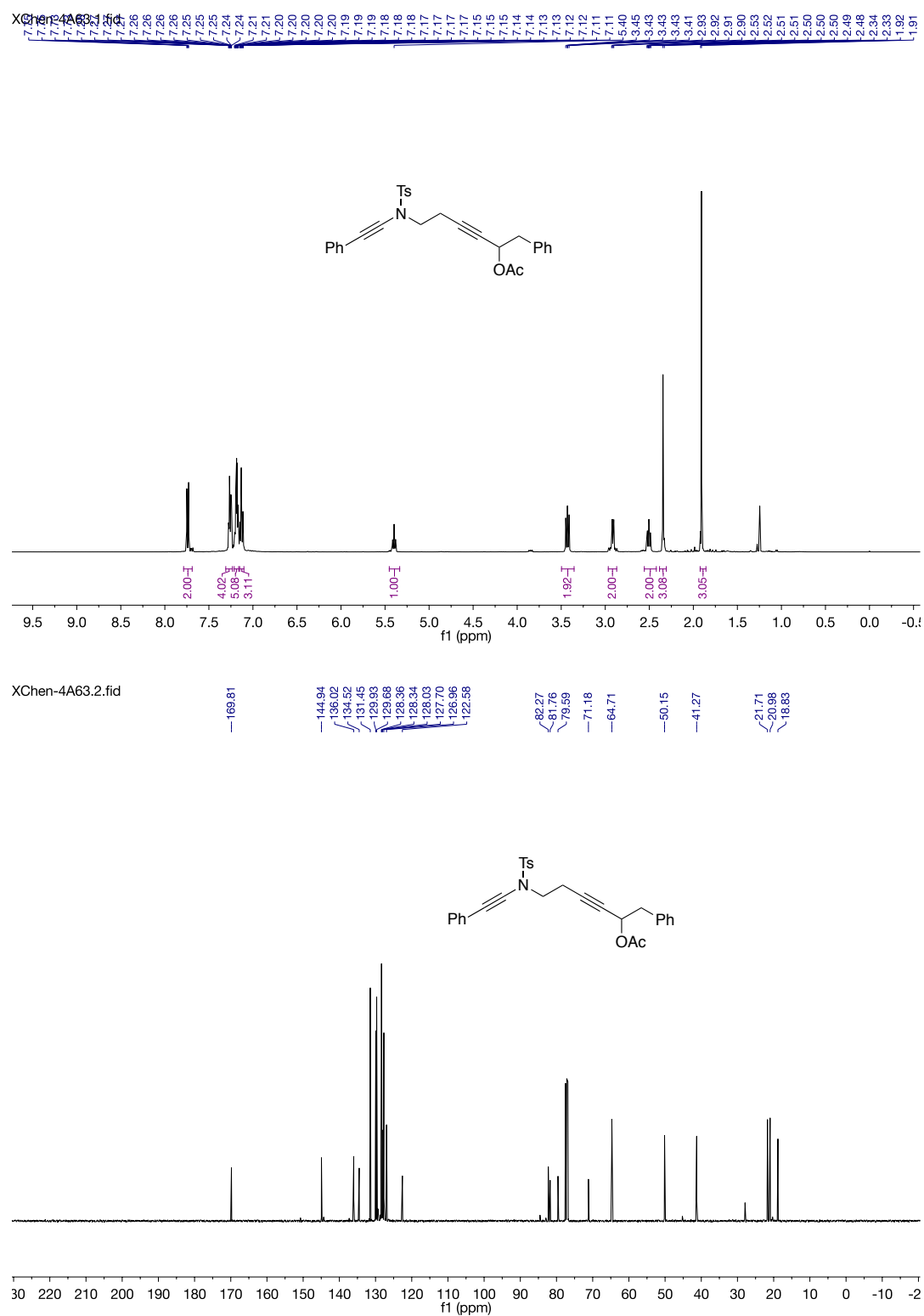


Figure S12. ^1H and ^{13}C NMR Spectra of **4l**

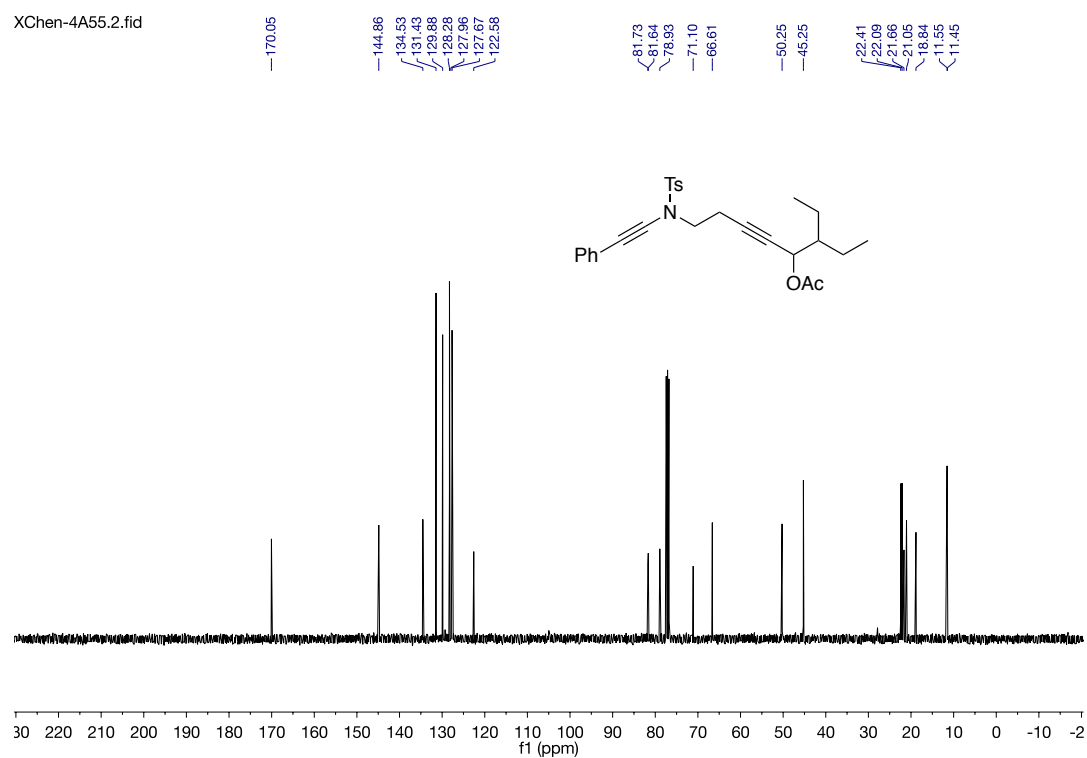
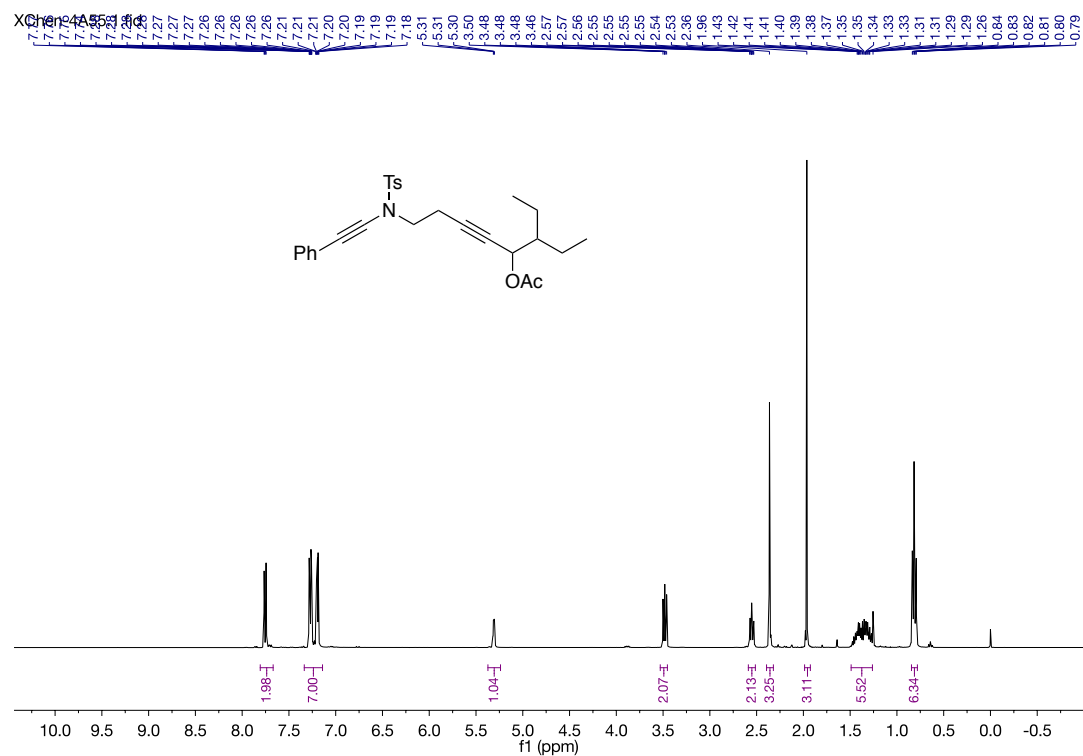


Figure S13. ^1H and ^{13}C NMR Spectra of **4m**

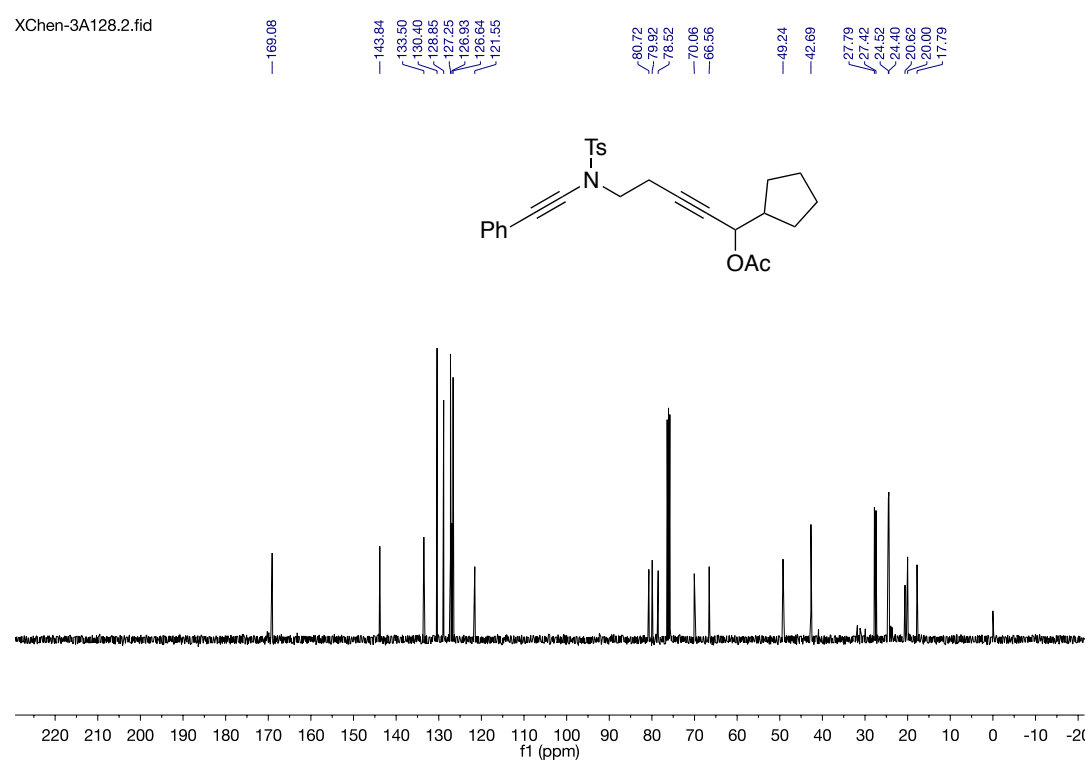
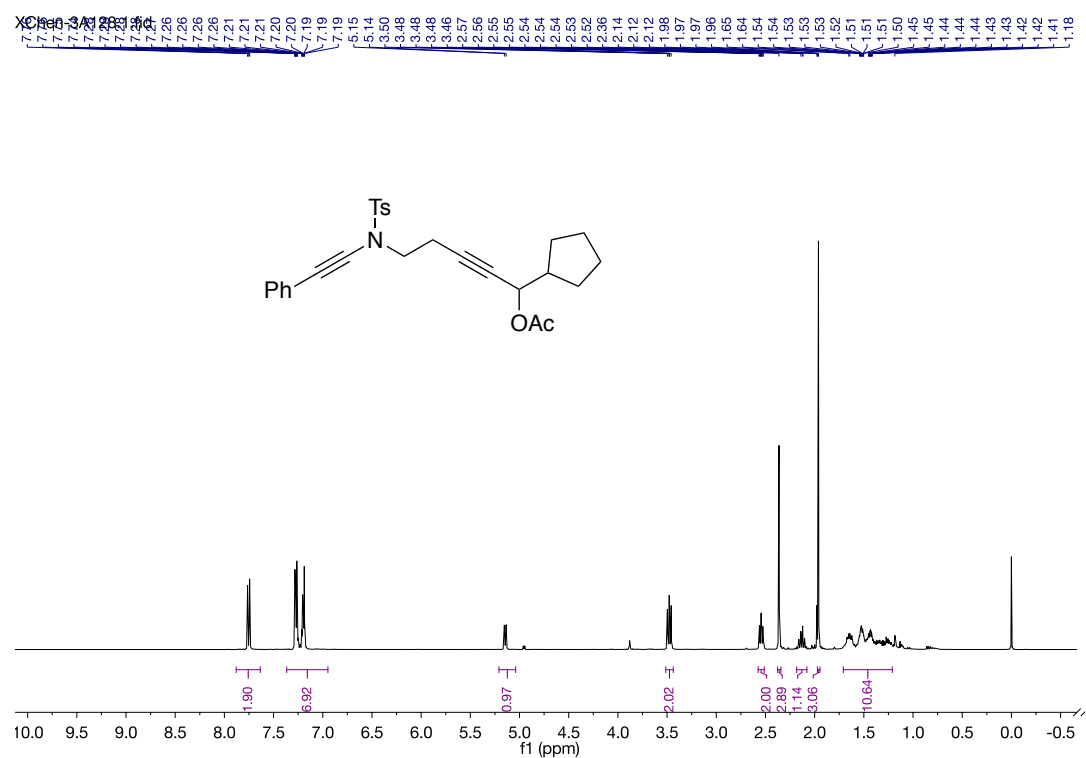


Figure S14. ^1H and ^{13}C NMR Spectra of **4n**

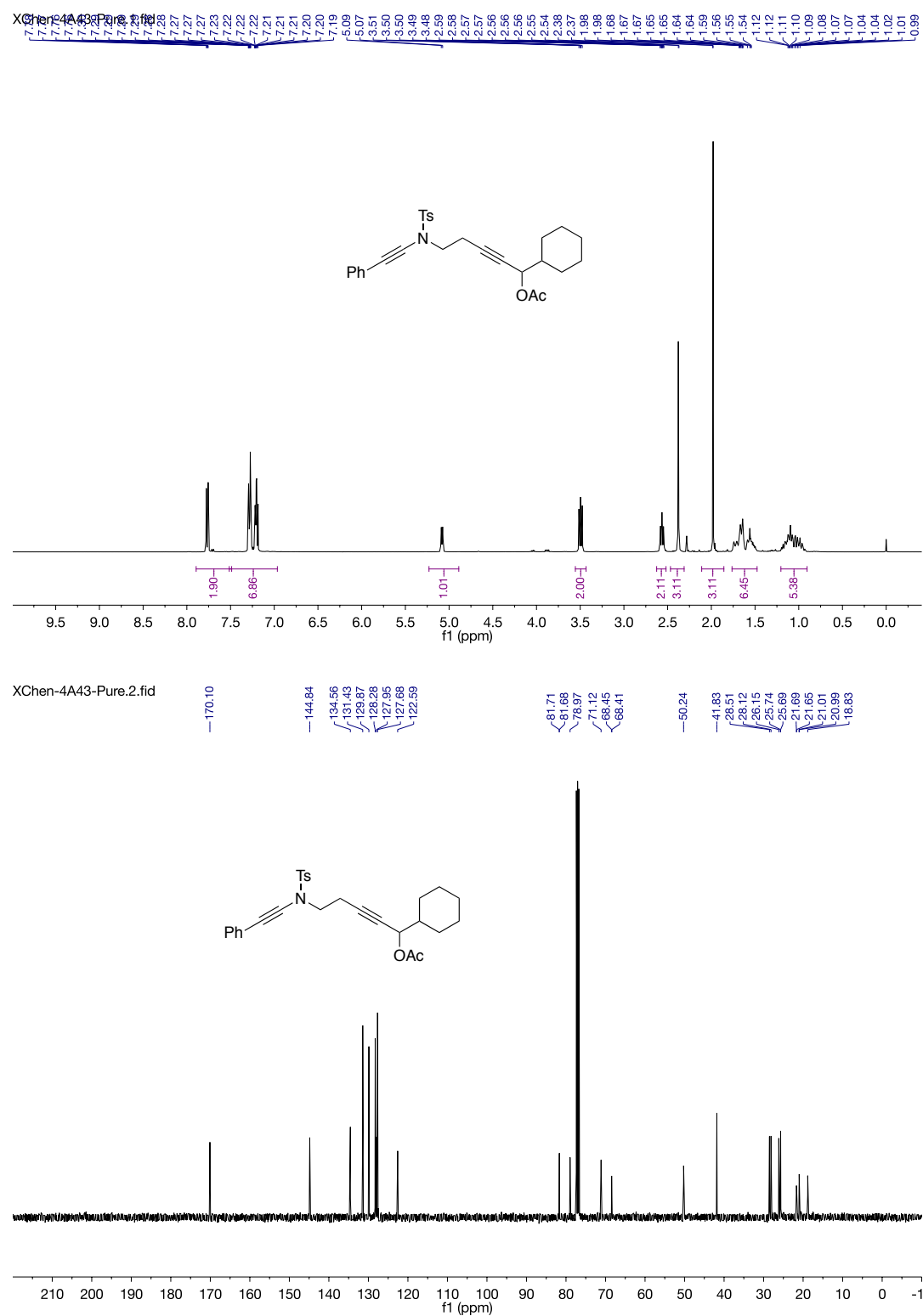


Figure S15. ^1H and ^{13}C NMR Spectra of **4o**

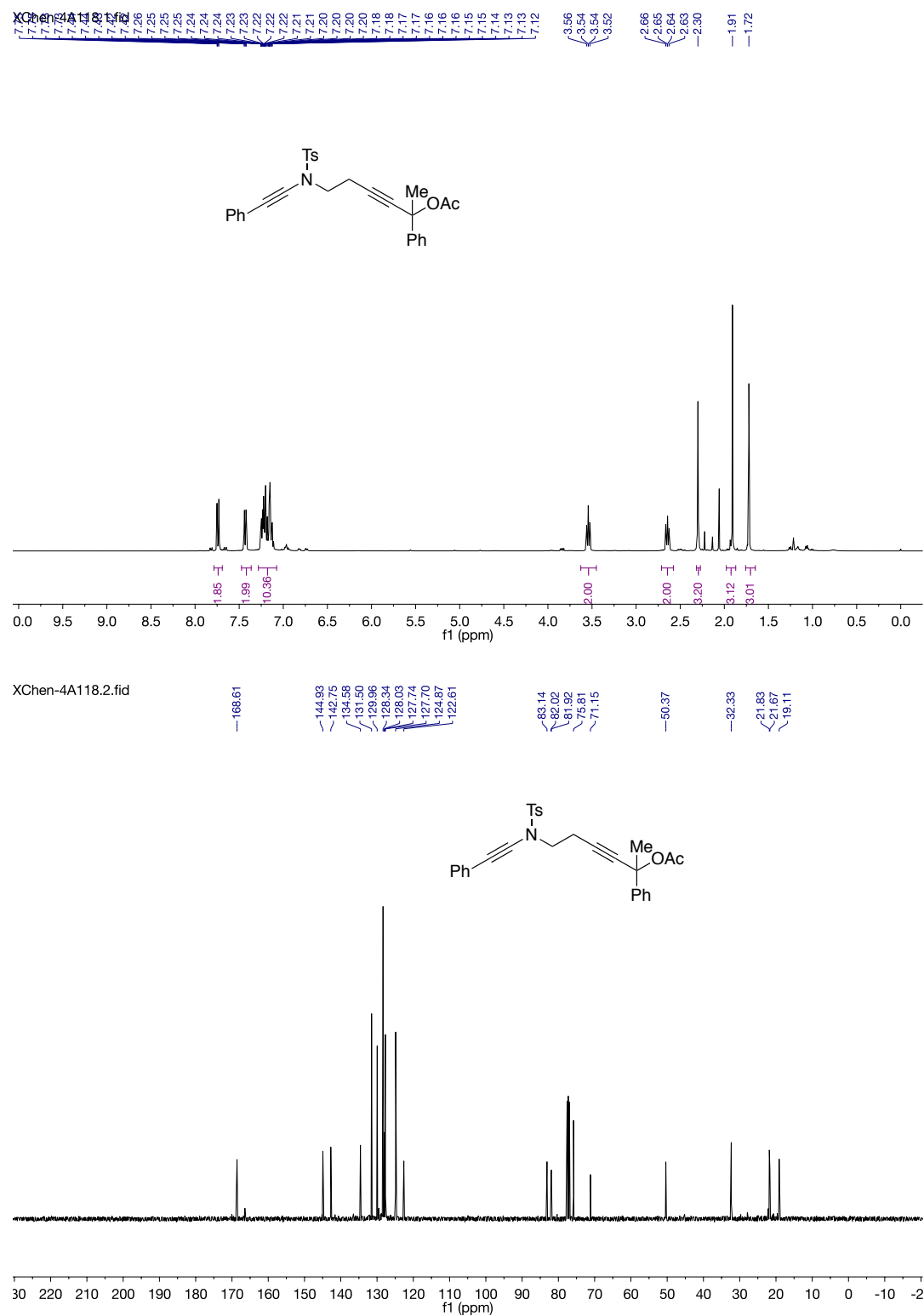


Figure S16. ^1H and ^{13}C NMR Spectra of **4p**

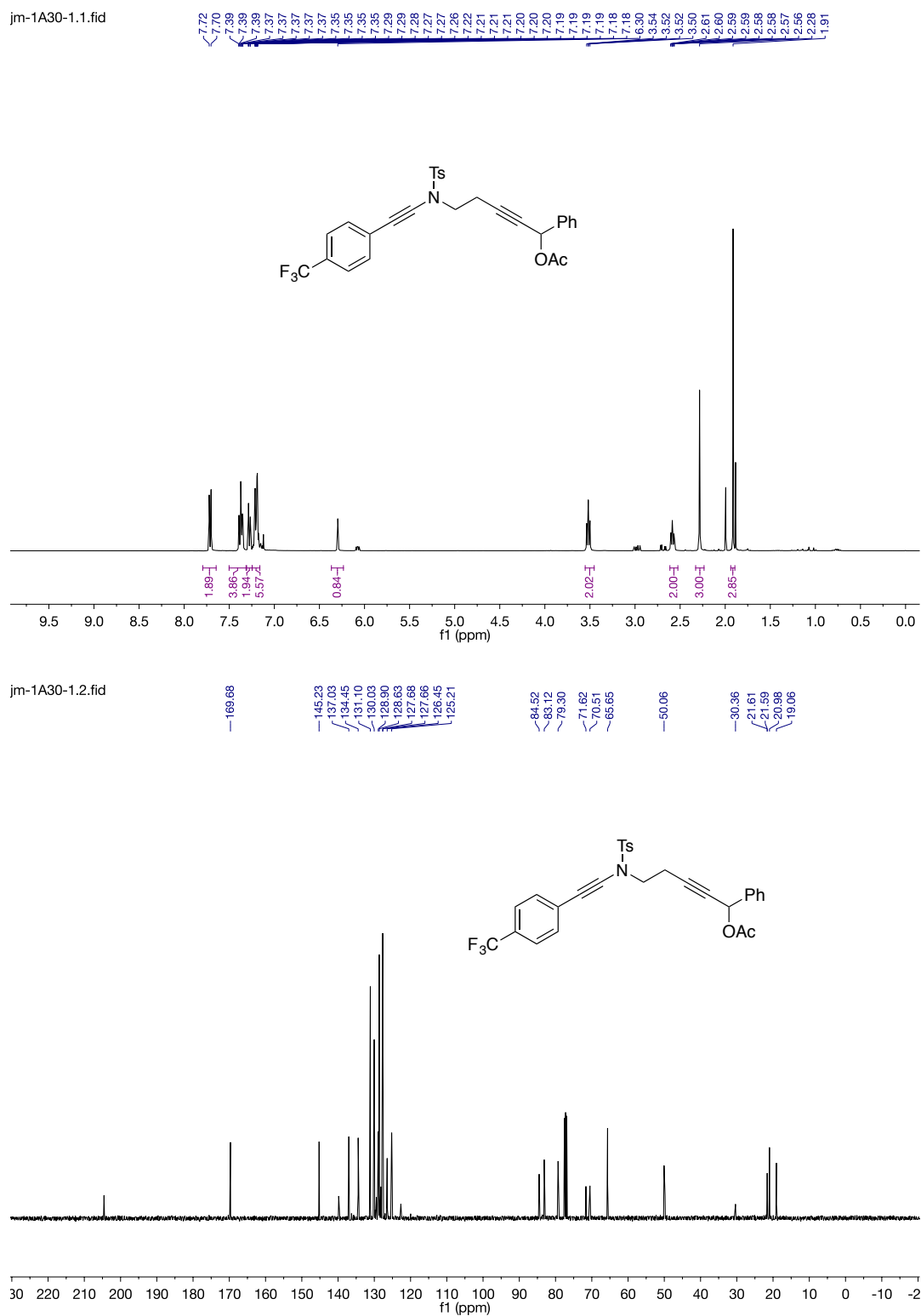


Figure S17. ^1H and ^{13}C NMR Spectra of **4q**

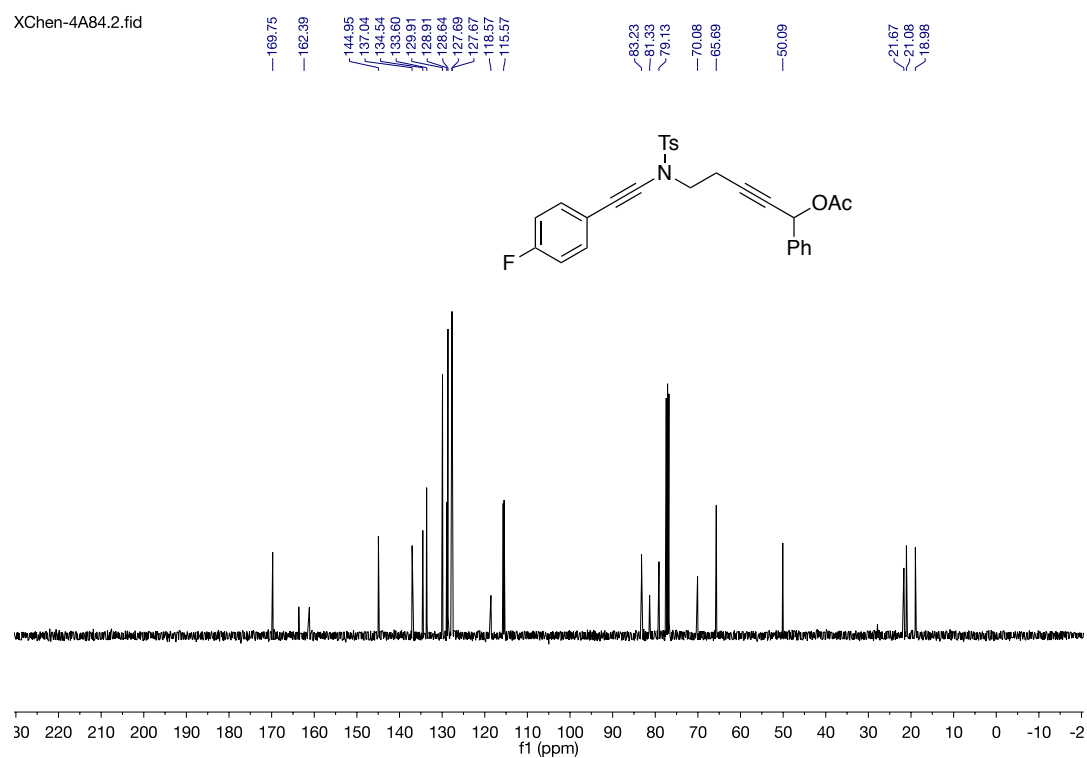
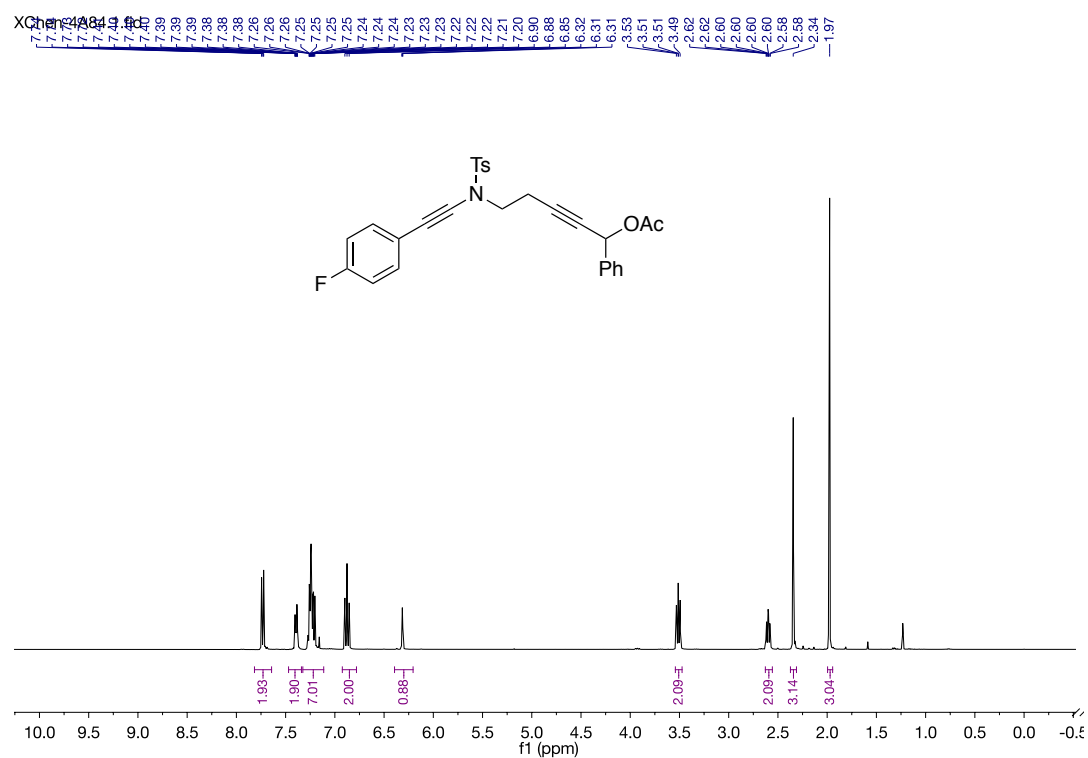


Figure S18. ^1H and ^{13}C NMR Spectra of **4r**

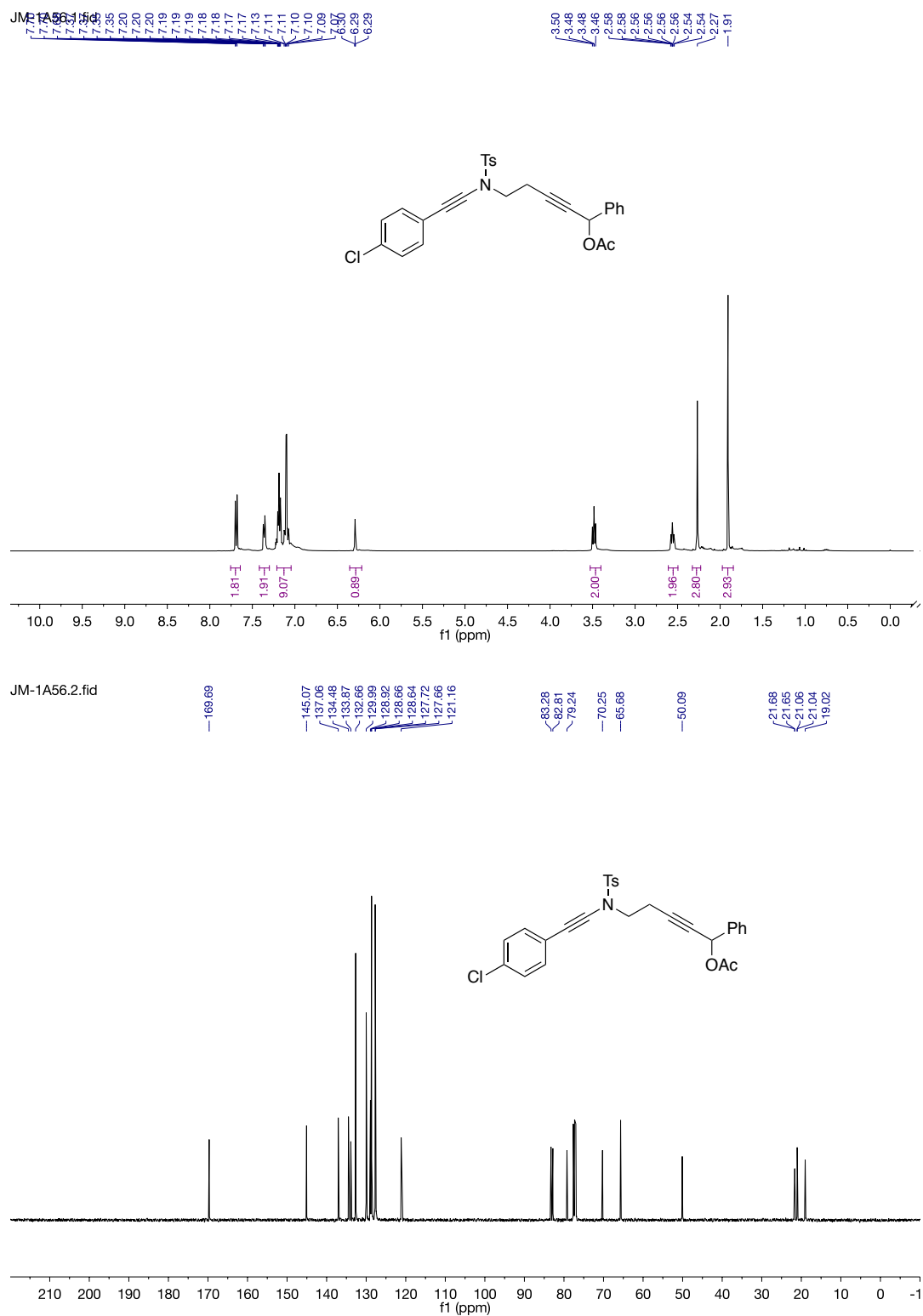
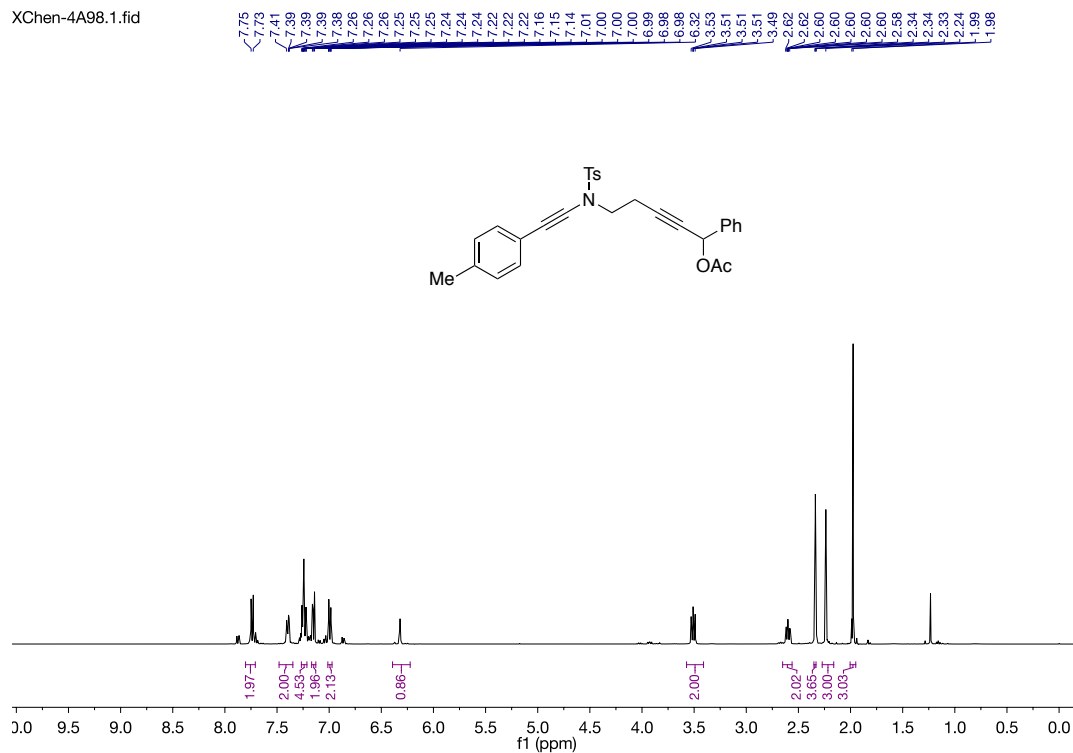


Figure S19. ^1H and ^{13}C NMR Spectra of **4s**

XChen-4A98.1.fid



XChen-4A98.2.fid

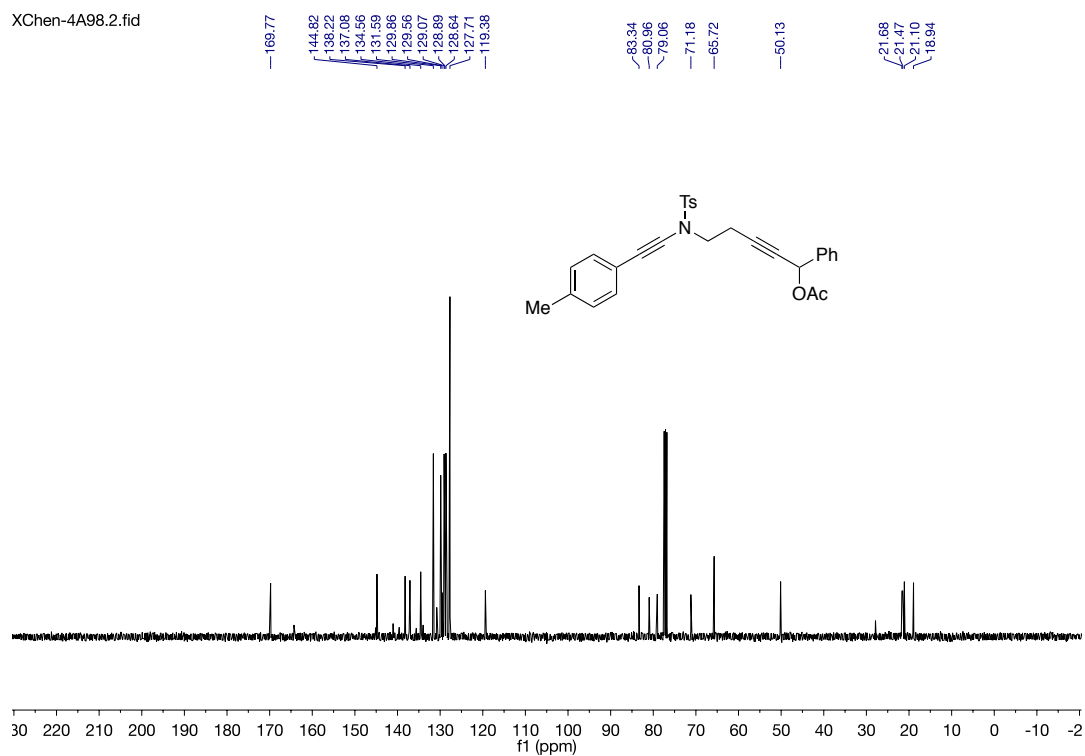


Figure S20. ^1H and ^{13}C NMR Spectra of **4t**

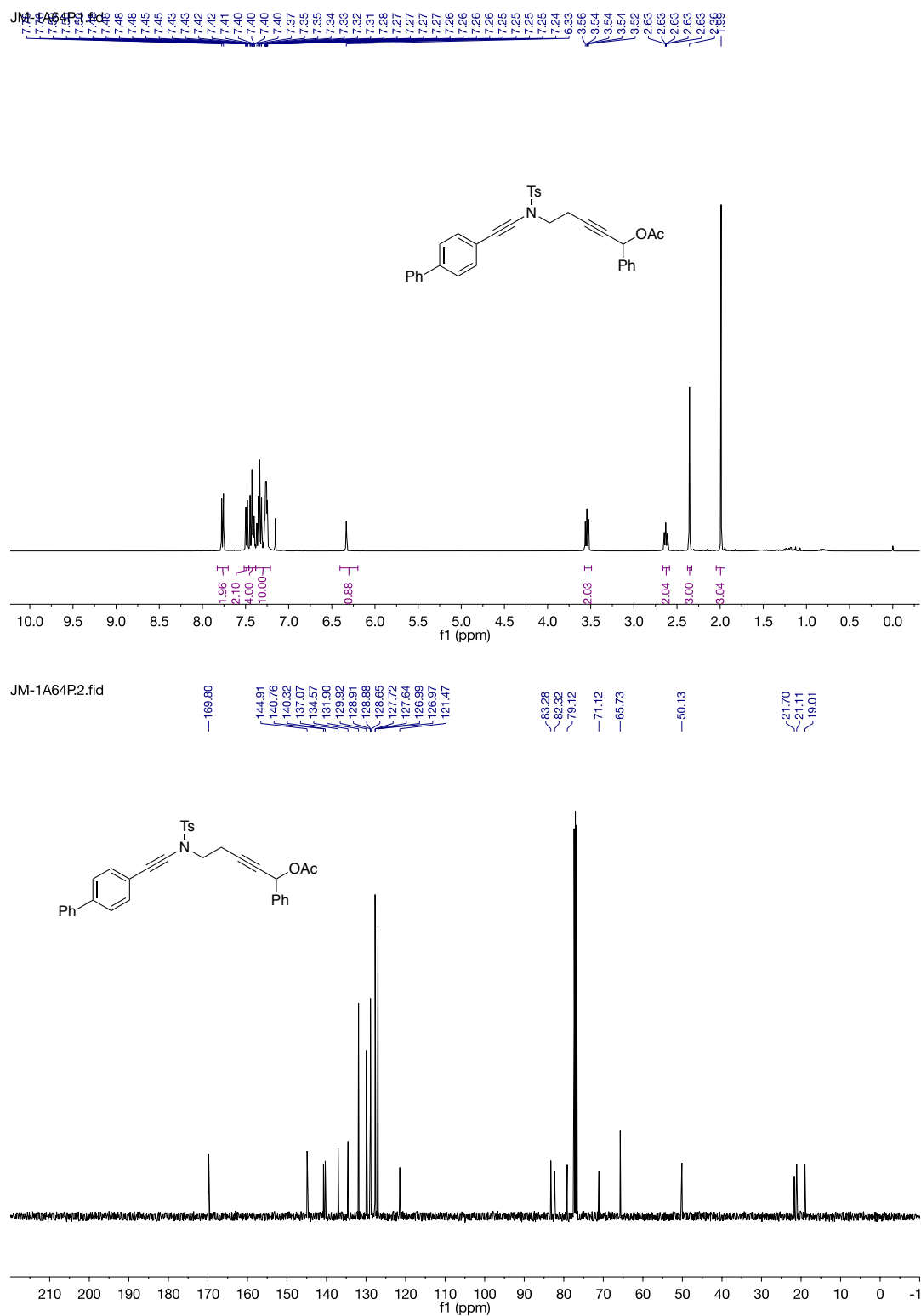


Figure S21. ^1H and ^{13}C NMR Spectra of **4u**

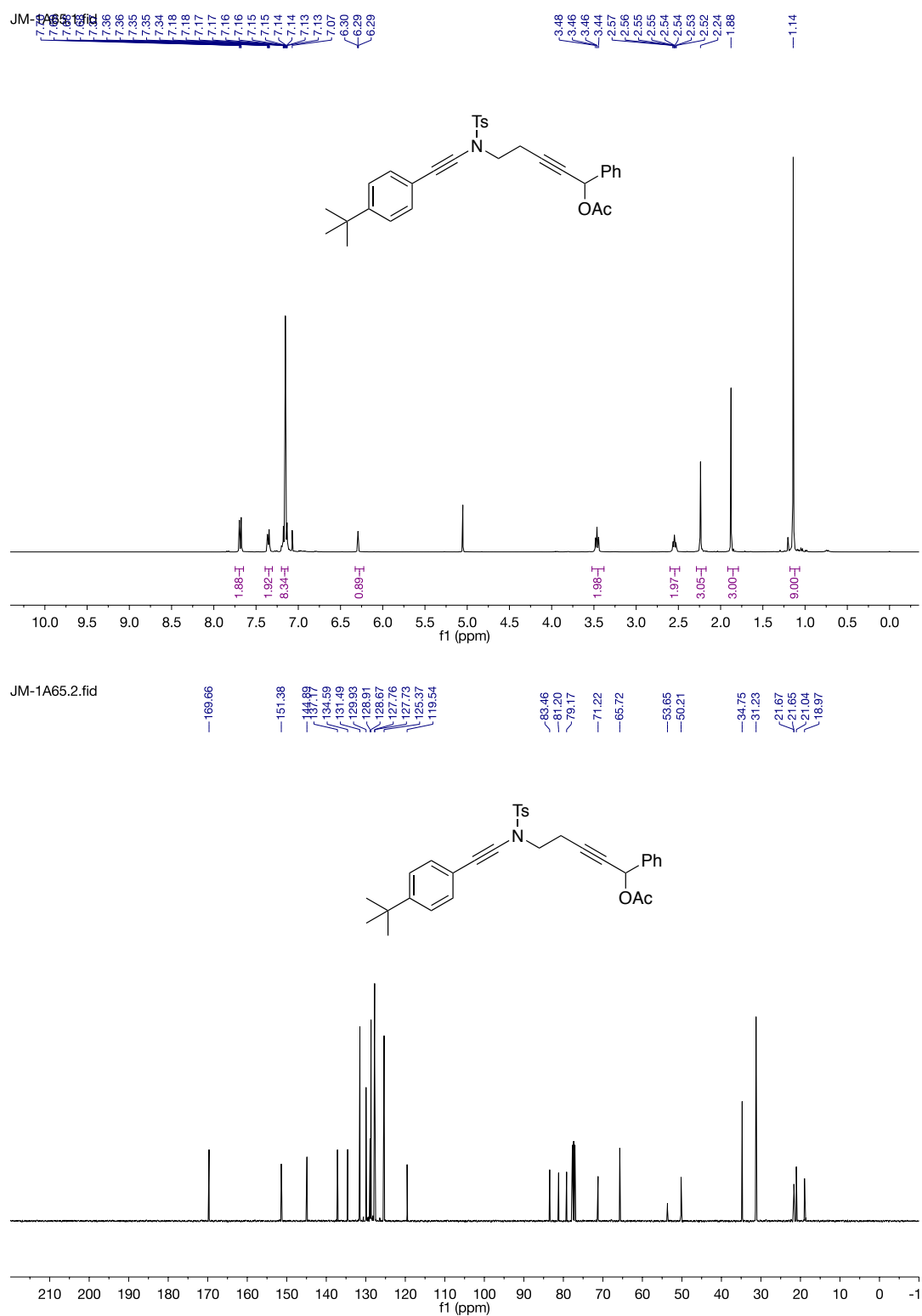


Figure S22. ^1H and ^{13}C NMR Spectra of **4v**

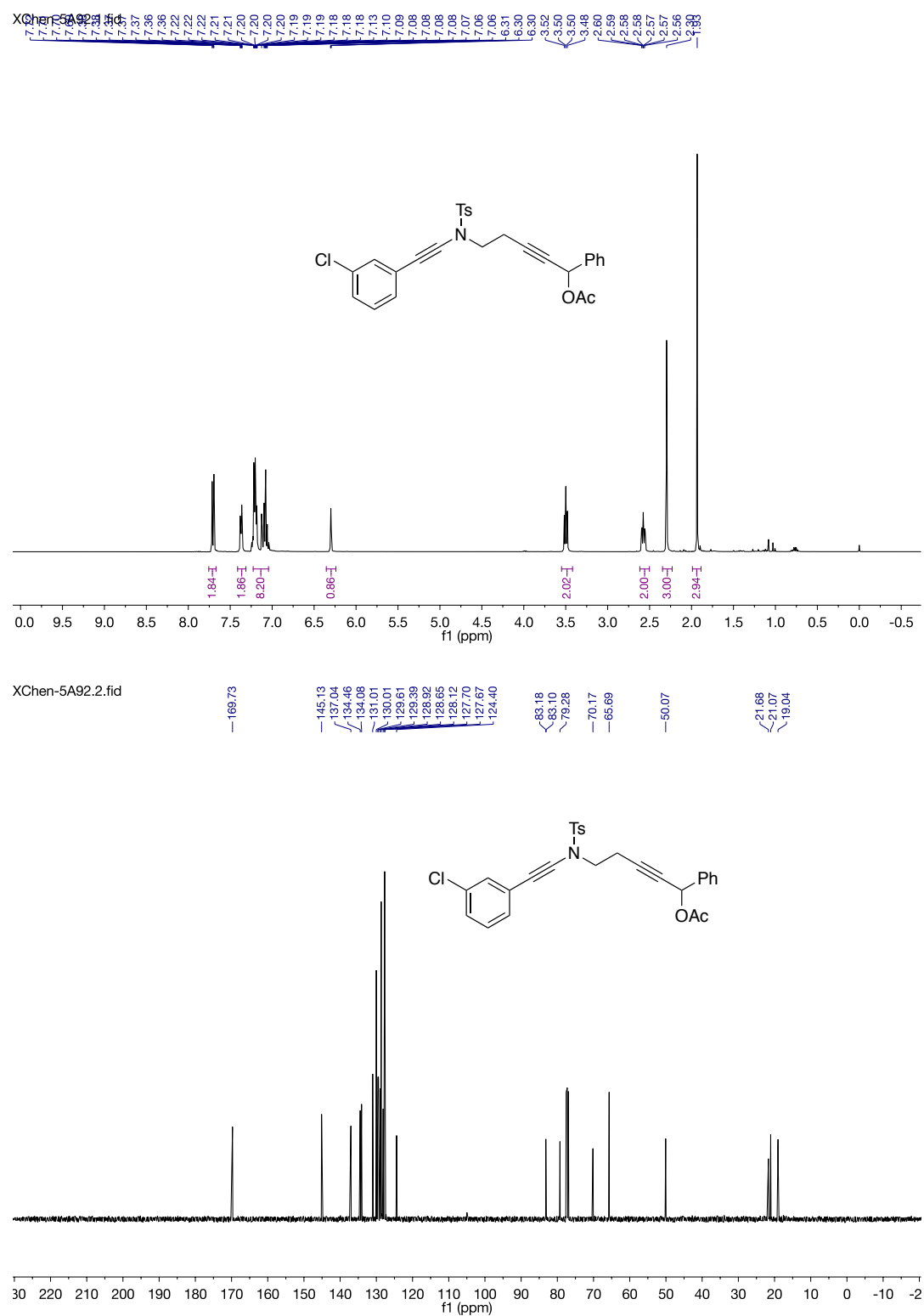


Figure S23. ^1H and ^{13}C NMR Spectra of **5a**

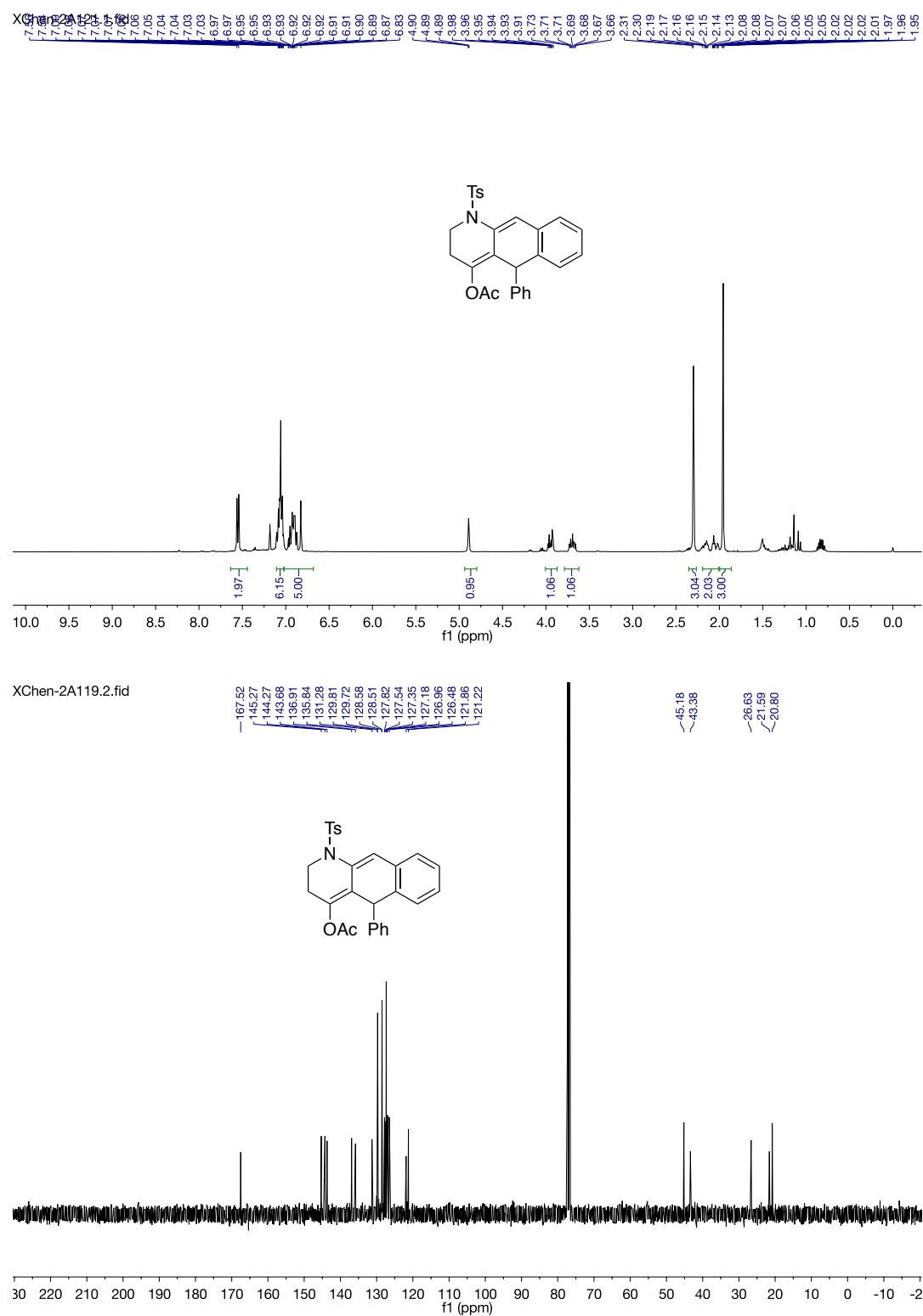


Figure S24. ^1H and ^{13}C NMR Spectra of **5b**

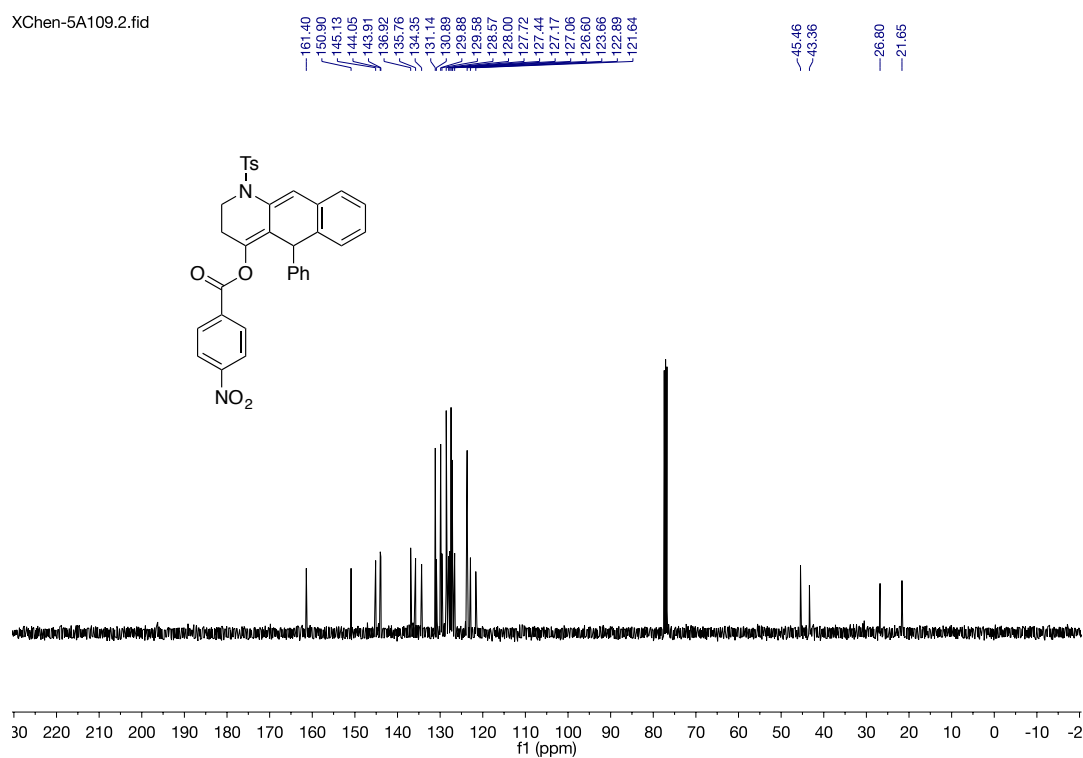
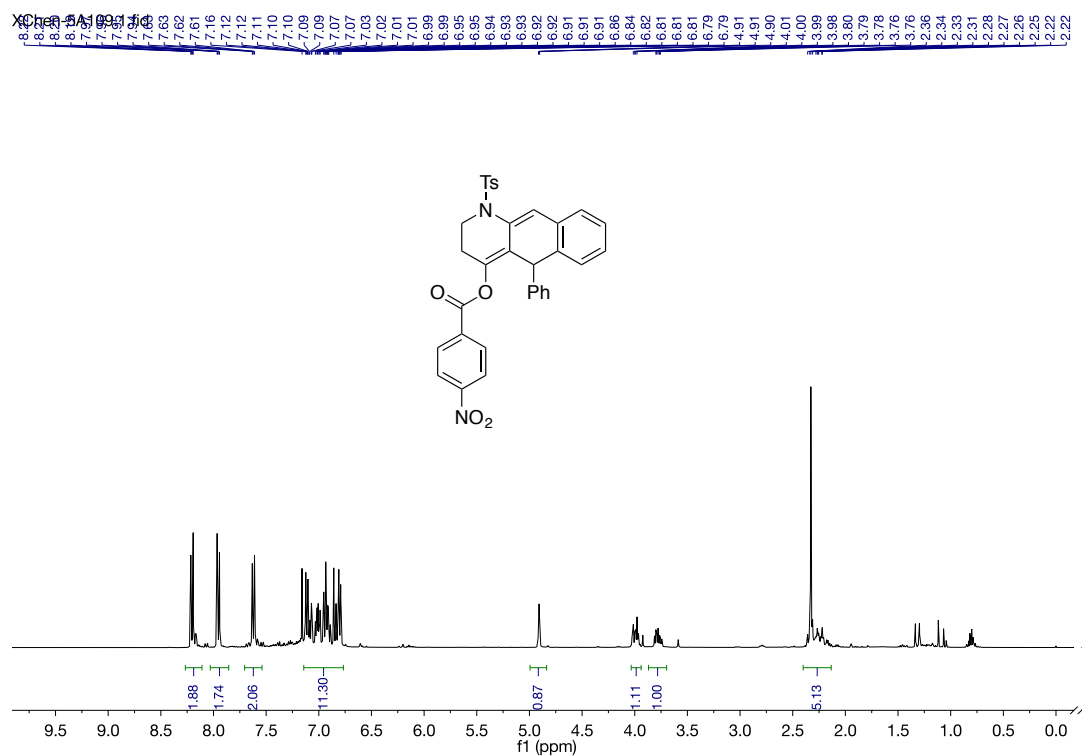


Figure S25. ^1H and ^{13}C NMR Spectra of **5c**

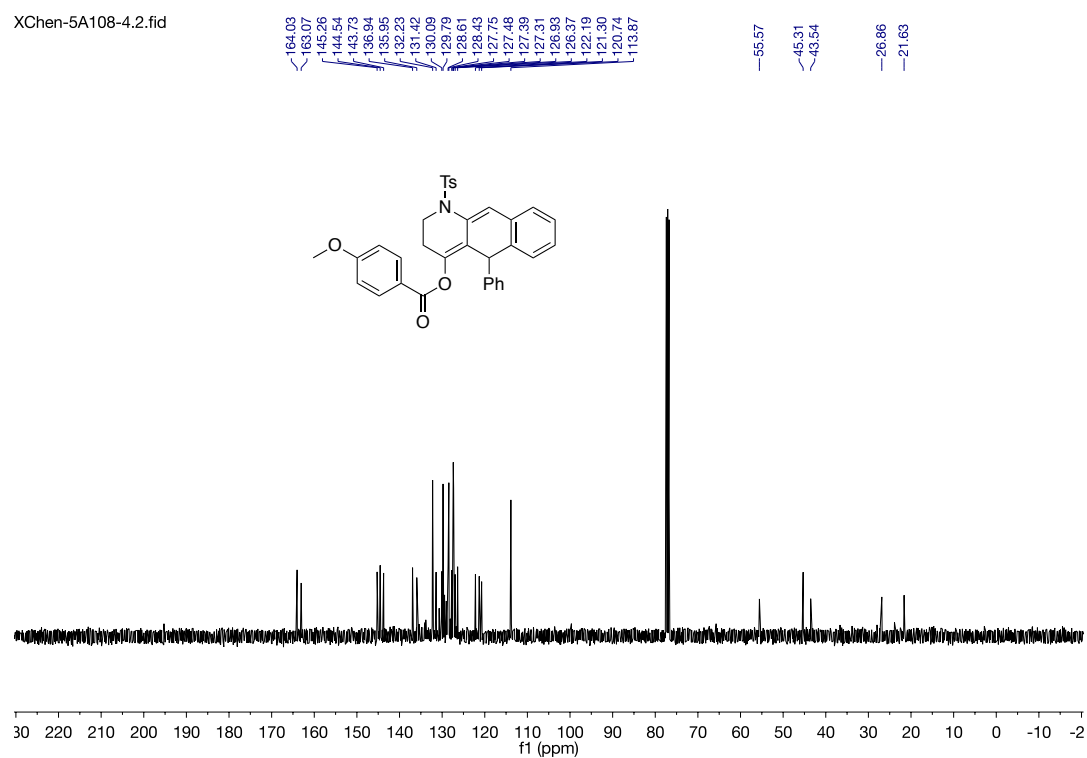
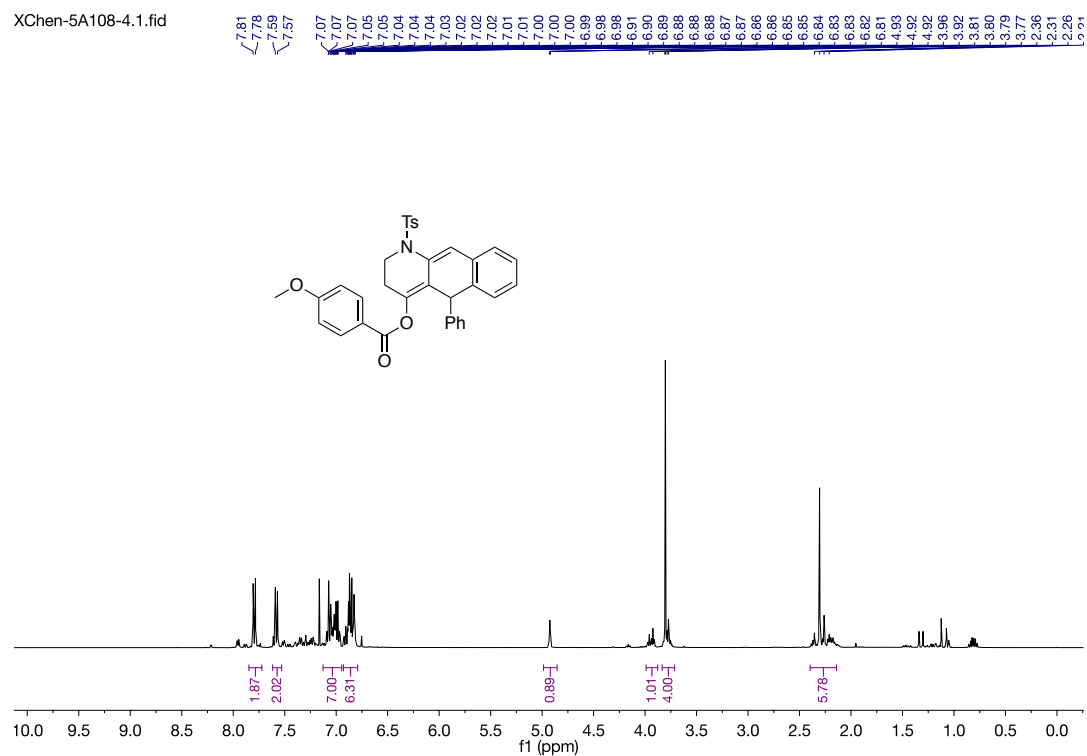


Figure S26. ^1H and ^{13}C NMR Spectra of **5d**

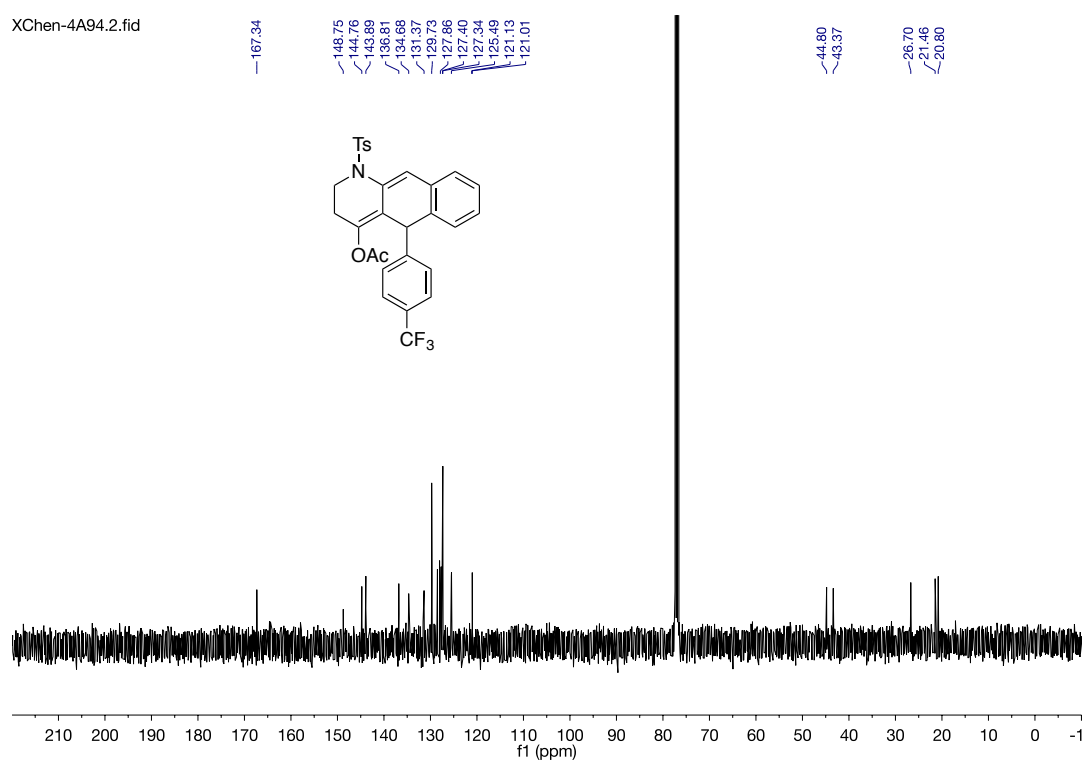
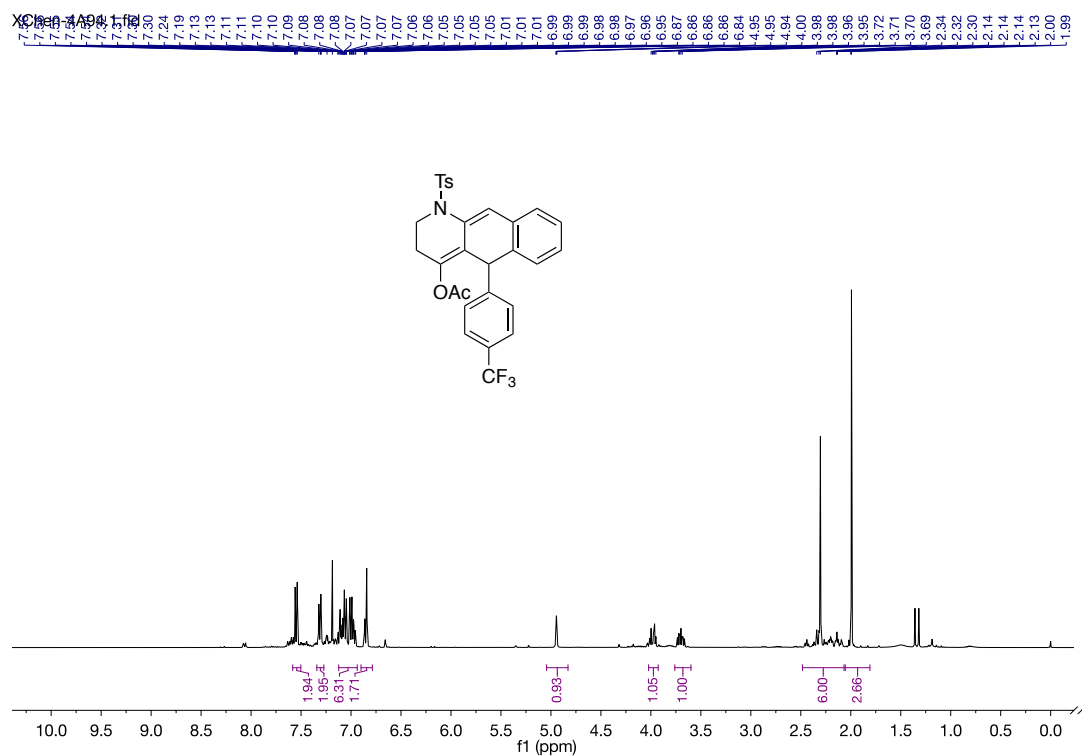


Figure S27. ^1H and ^{13}C NMR Spectra of **5e**

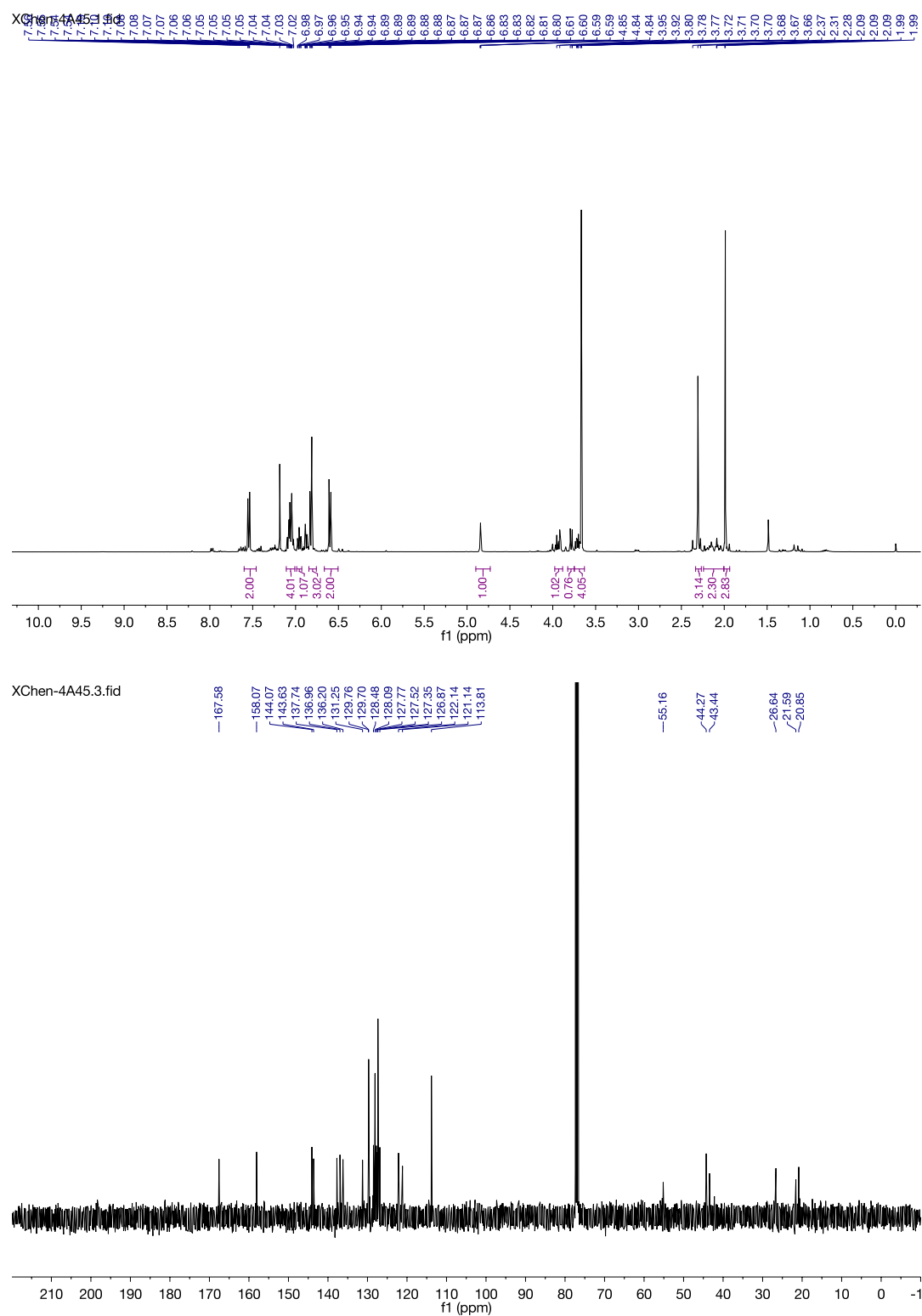


Figure S28. ^1H and ^{13}C NMR Spectra of **5f**

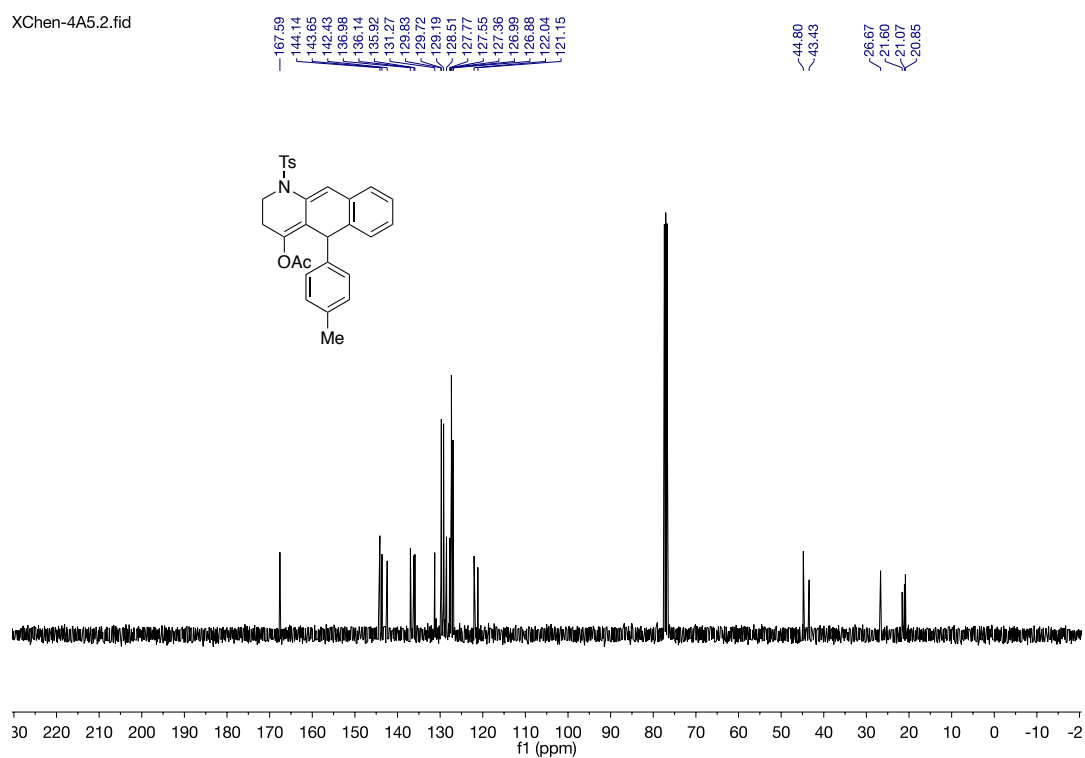
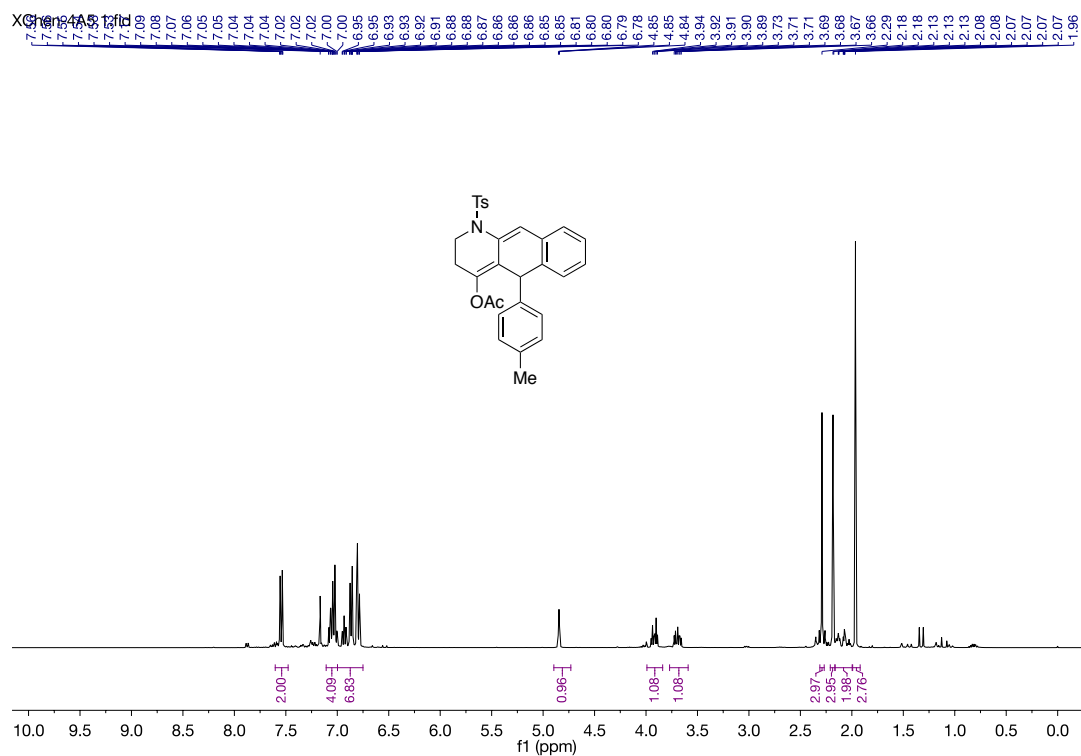


Figure S29. ^1H and ^{13}C NMR Spectra of **5g**

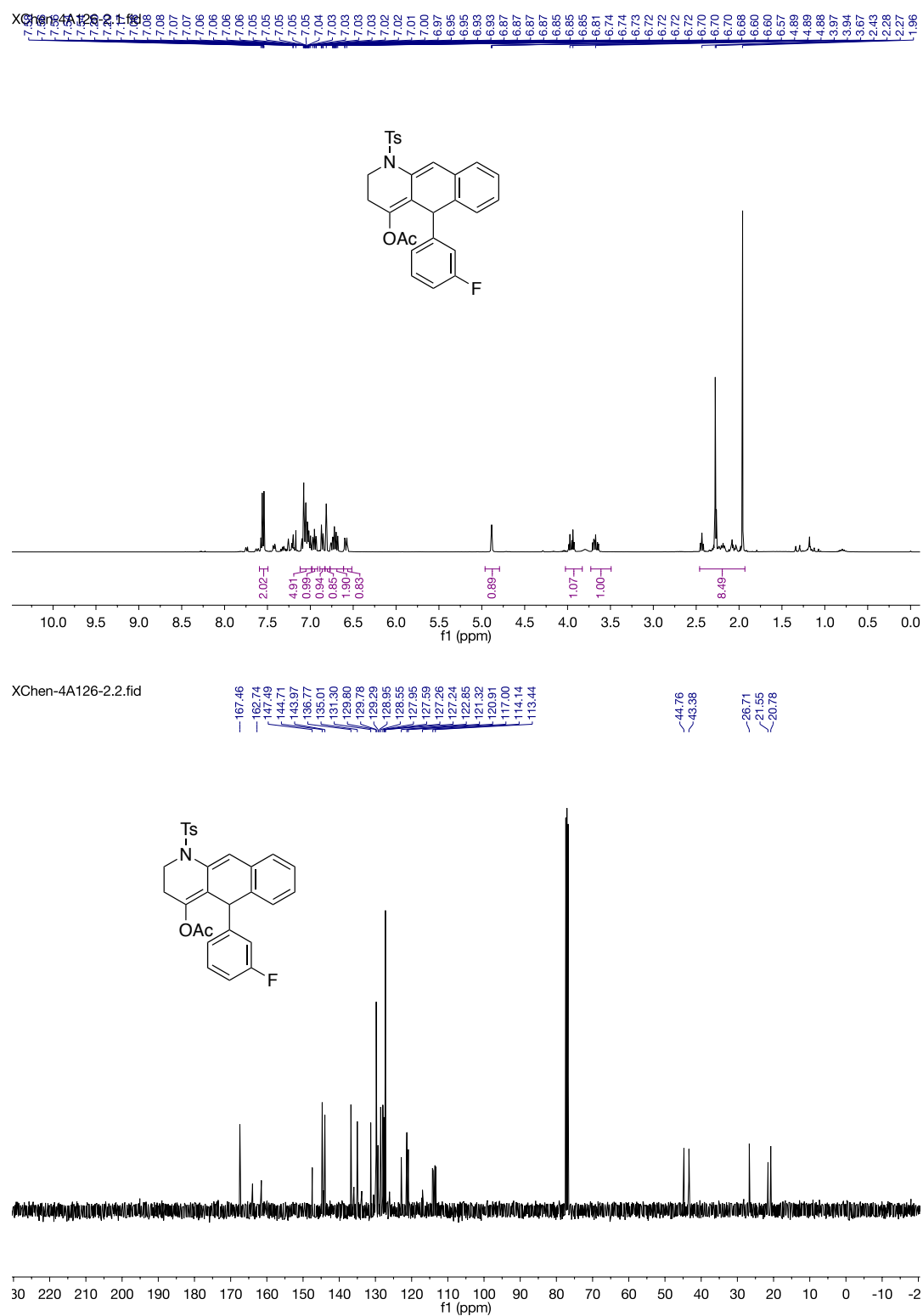


Figure S30. ^1H and ^{13}C NMR Spectra of **5h**

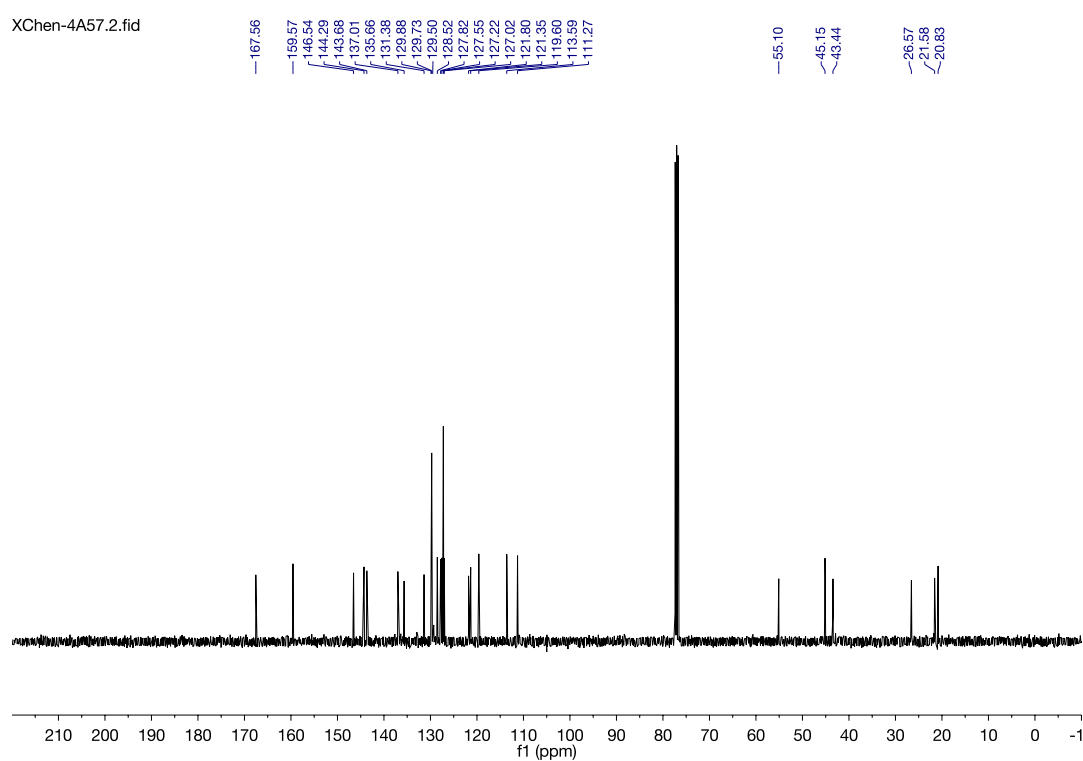
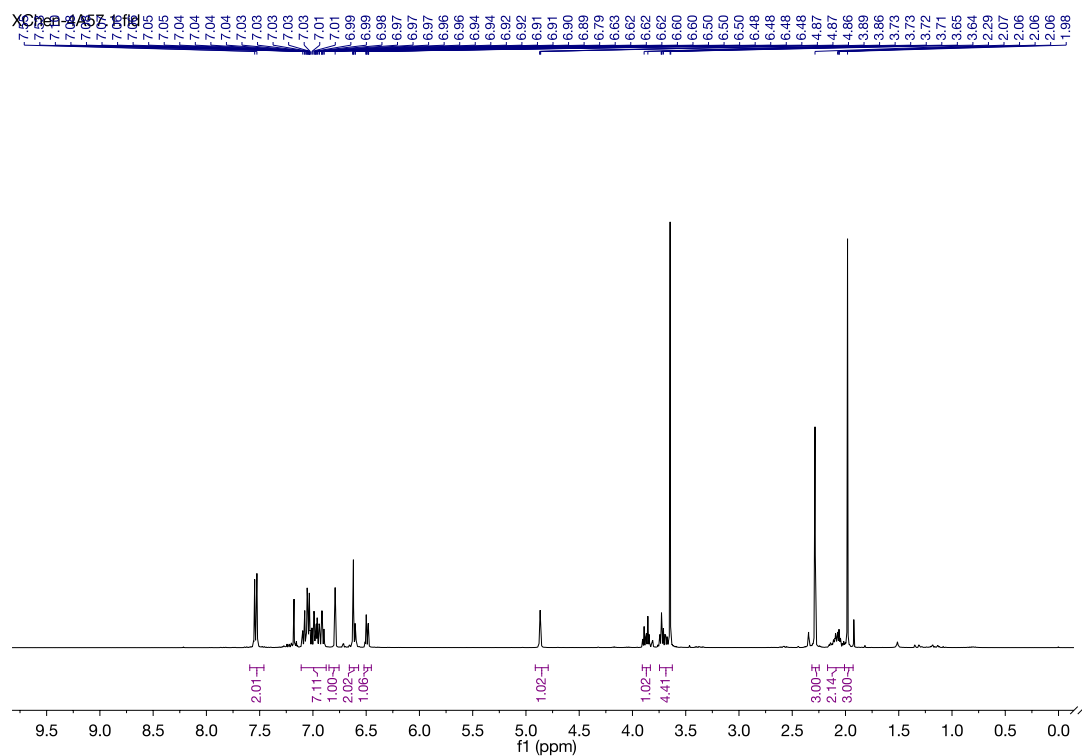


Figure S31. ^1H and ^{13}C NMR Spectra of **5i**

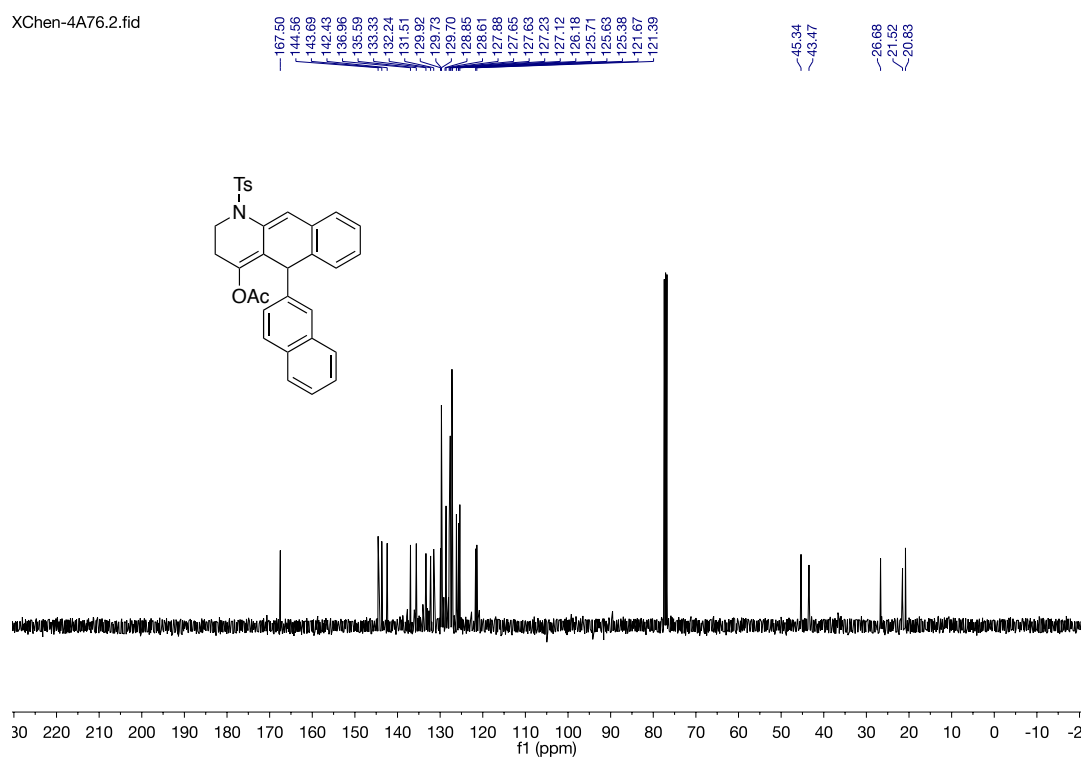
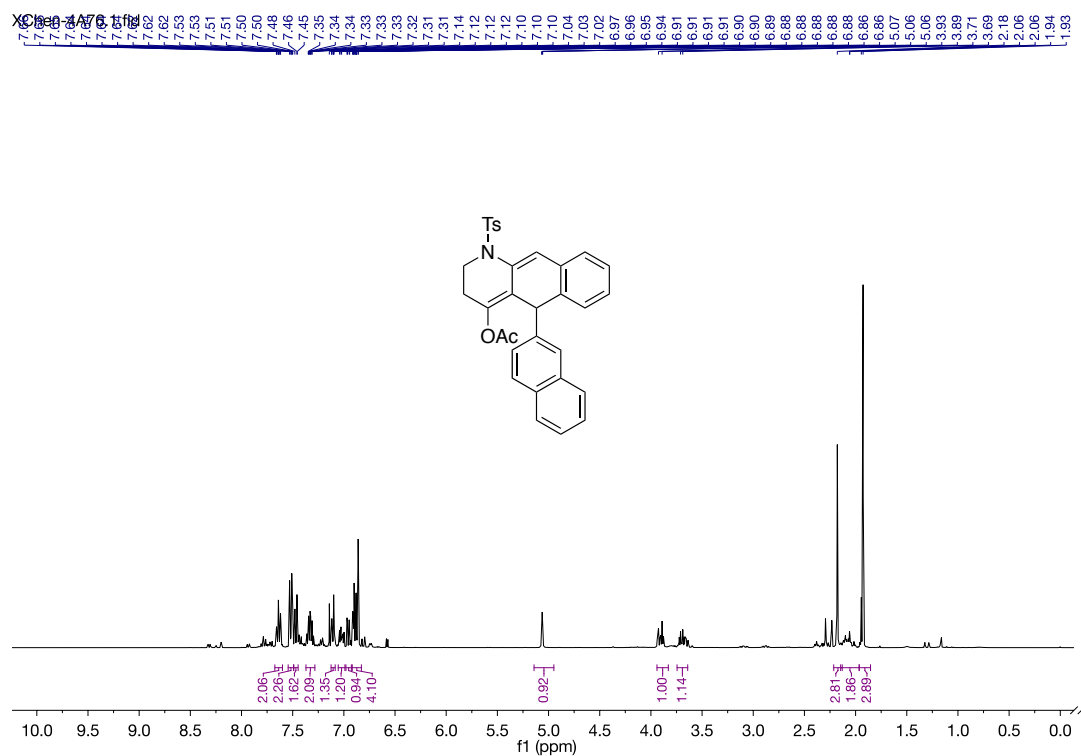


Figure S32. ^1H and ^{13}C NMR Spectra of **5j**

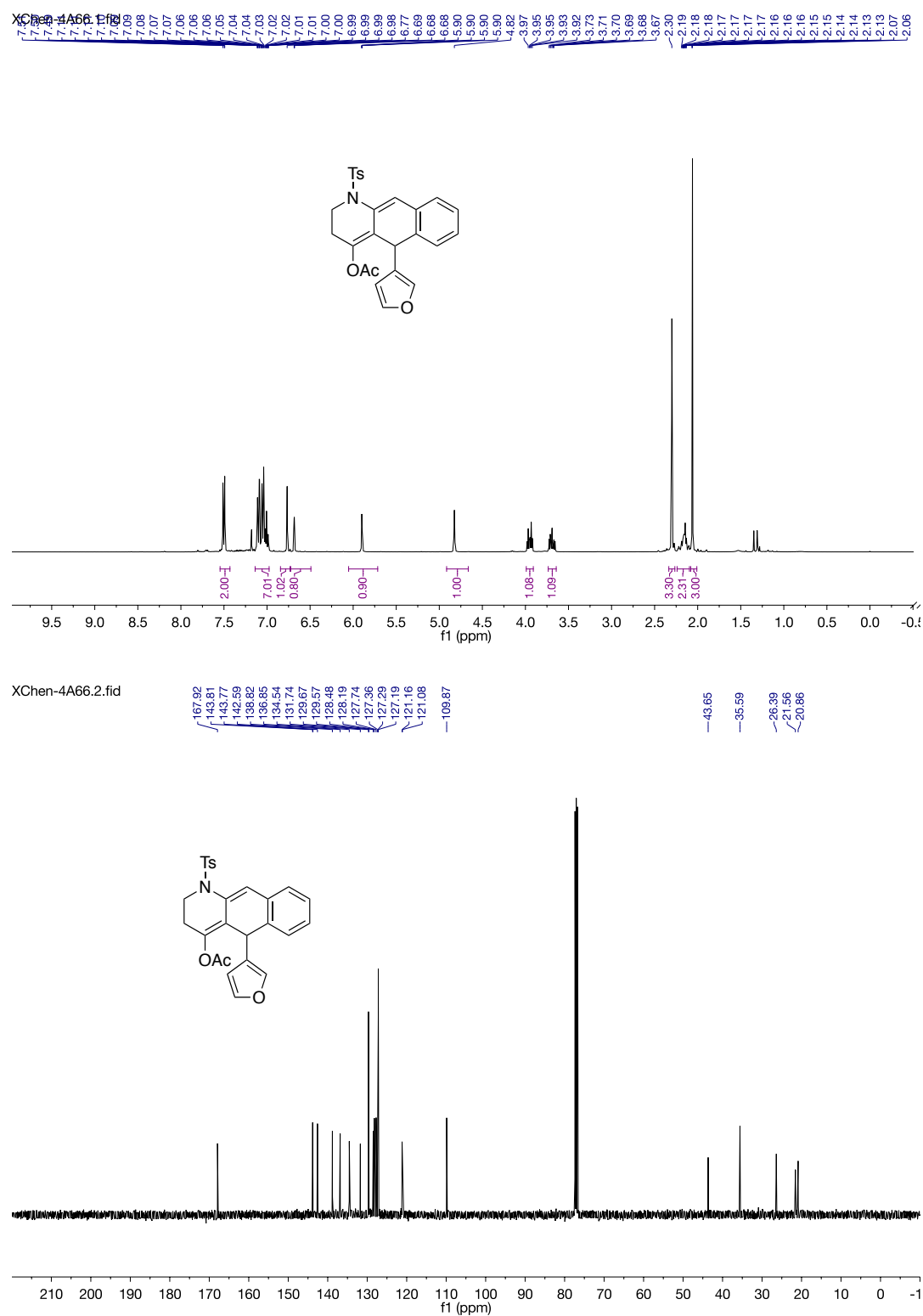


Figure S33. ^1H and ^{13}C NMR Spectra of **5k**

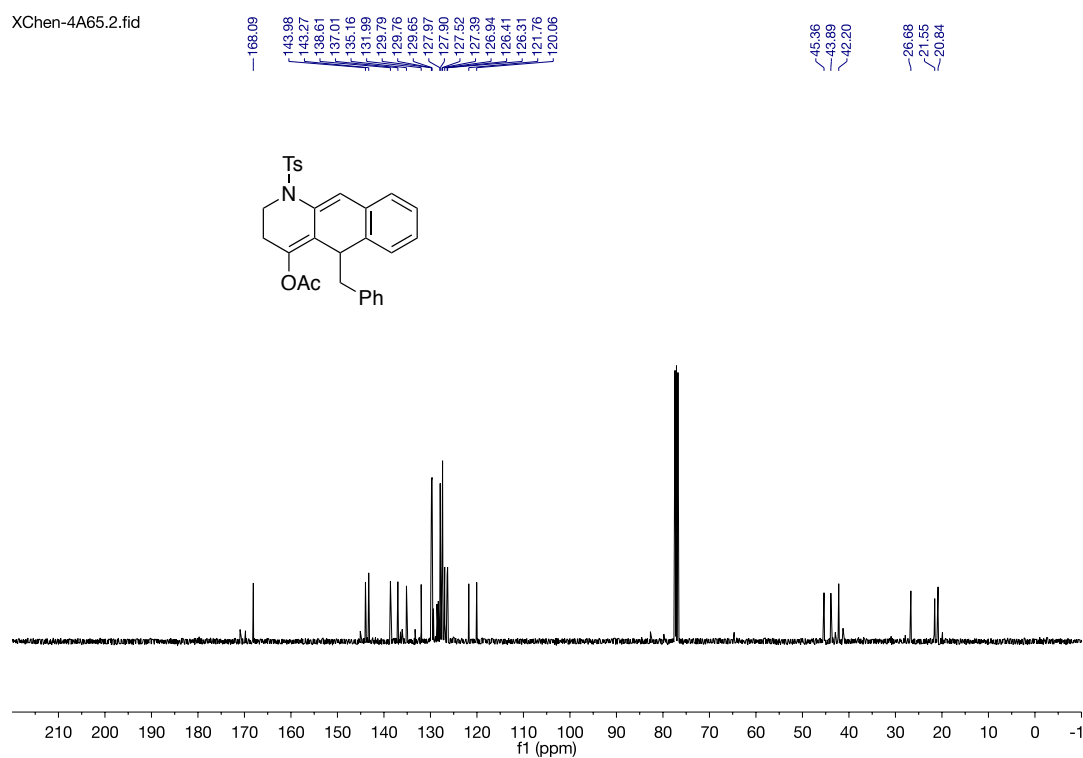
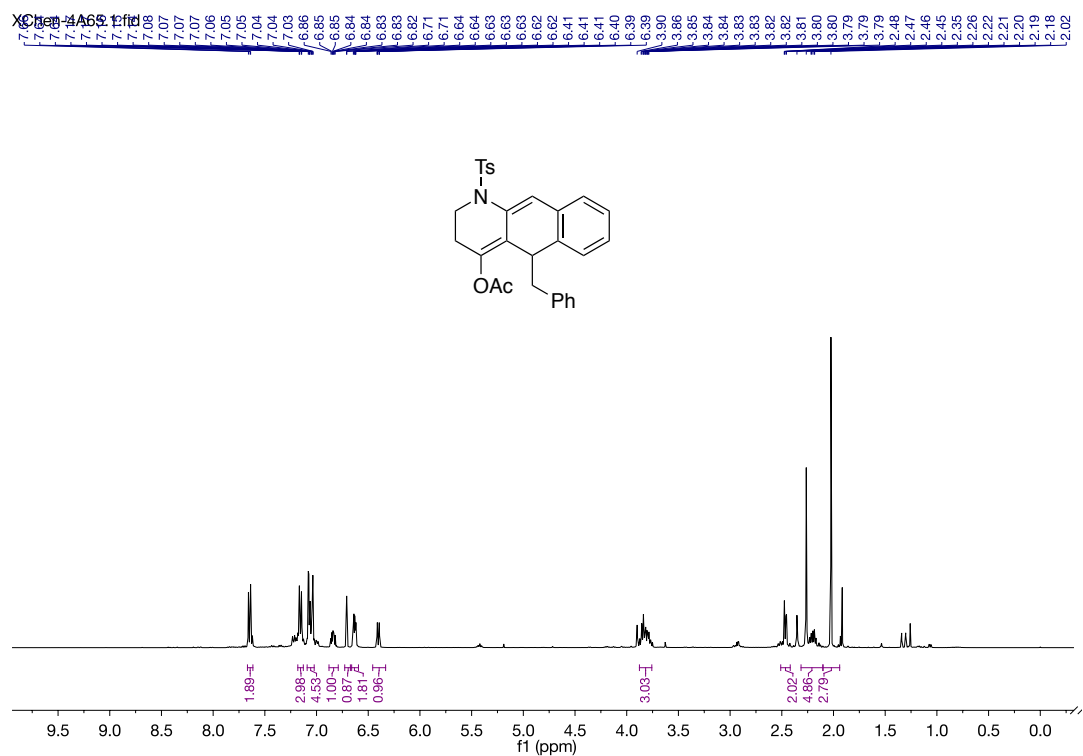


Figure S34. ^1H and ^{13}C NMR Spectra of **5l**

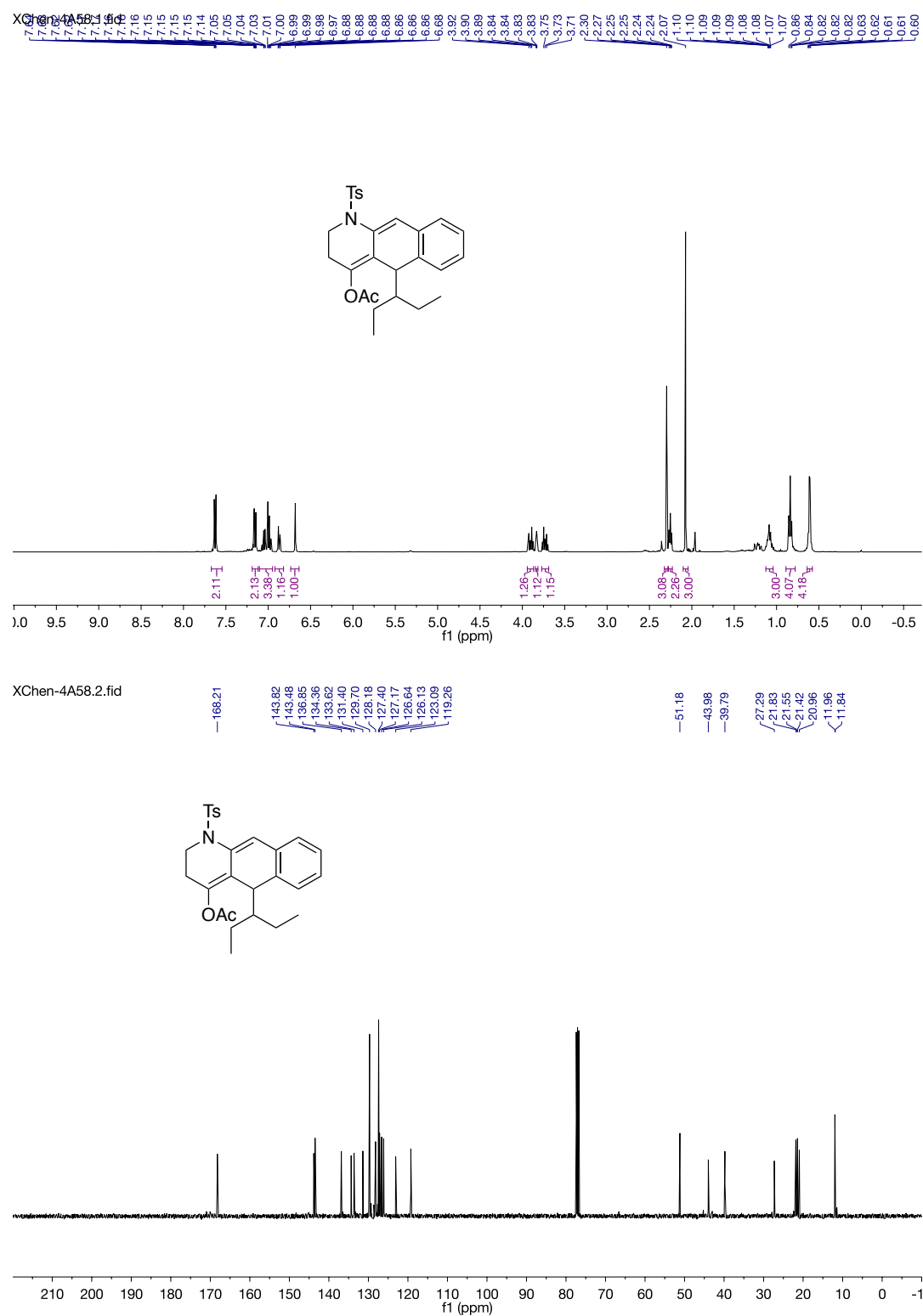


Figure S35. ^1H and ^{13}C NMR Spectra of **5m**

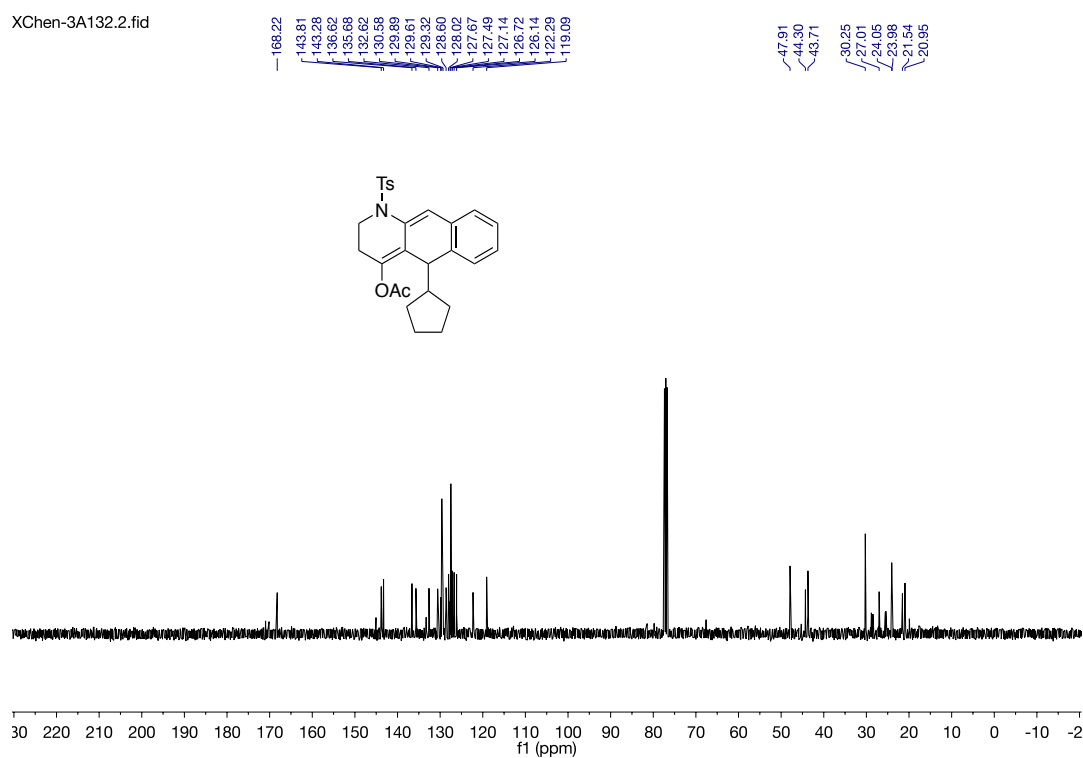
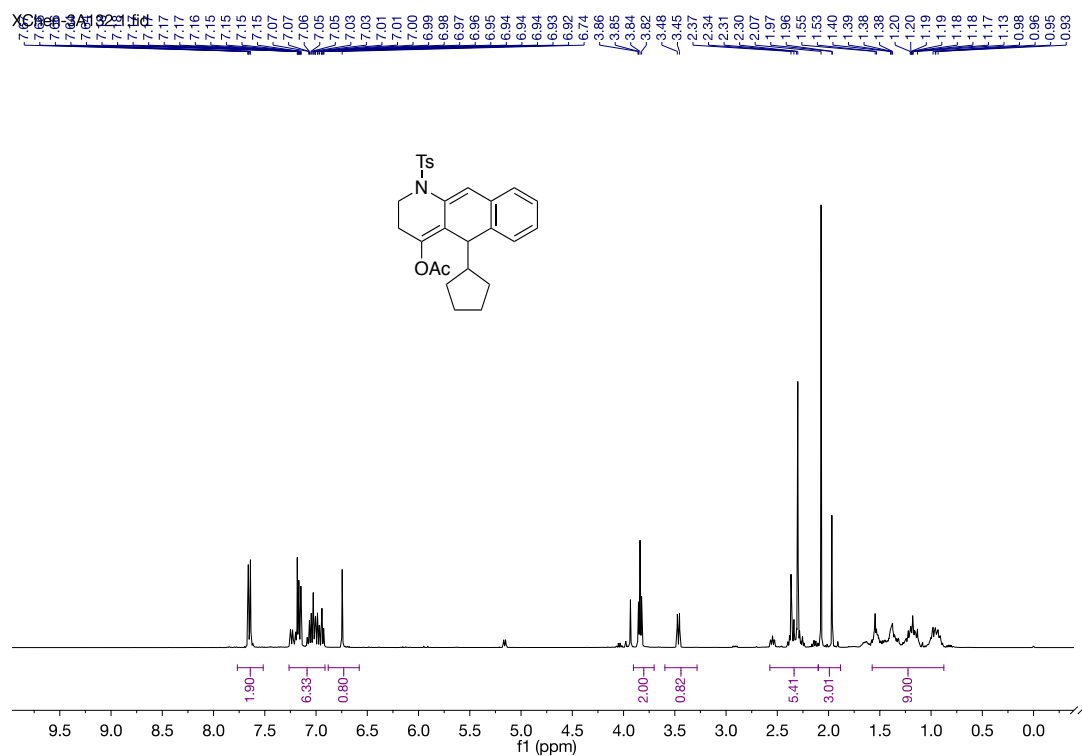


Figure S36. ^1H and ^{13}C NMR Spectra of **5n**

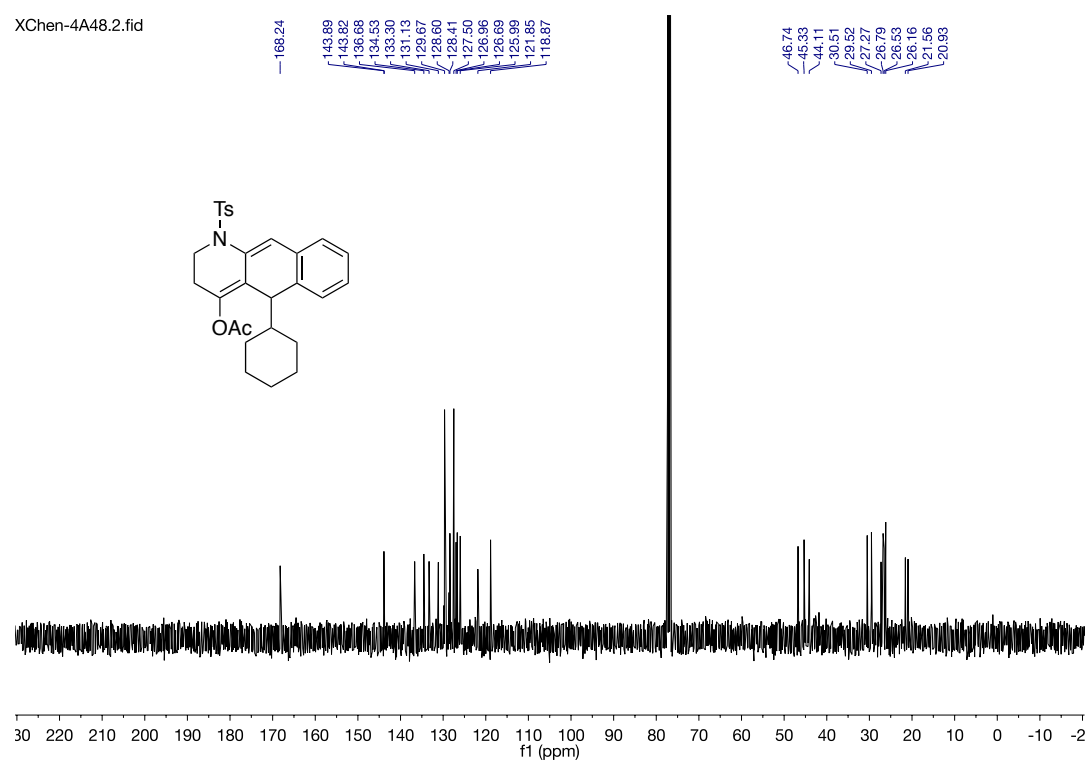
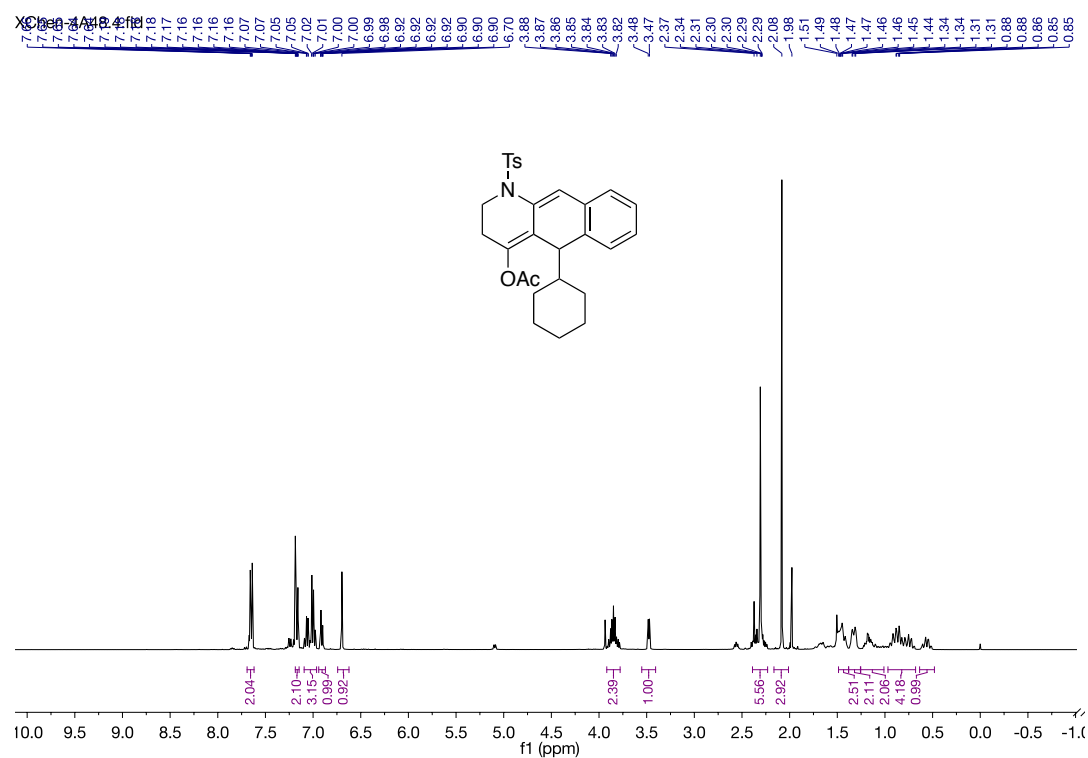


Figure S37. ^1H and ^{13}C NMR Spectra of **50**

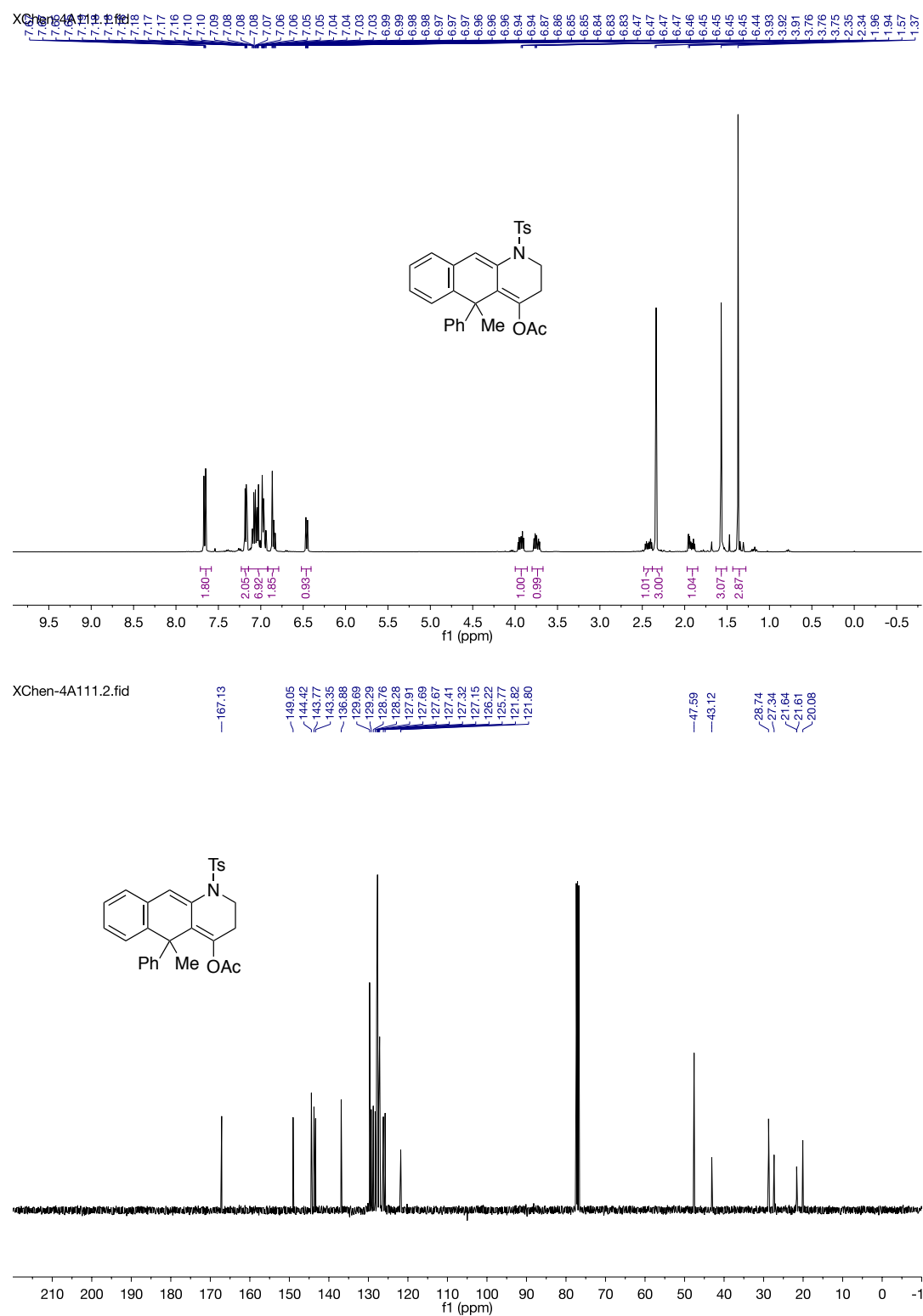


Figure S38. ^1H and ^{13}C NMR Spectra of **5p**

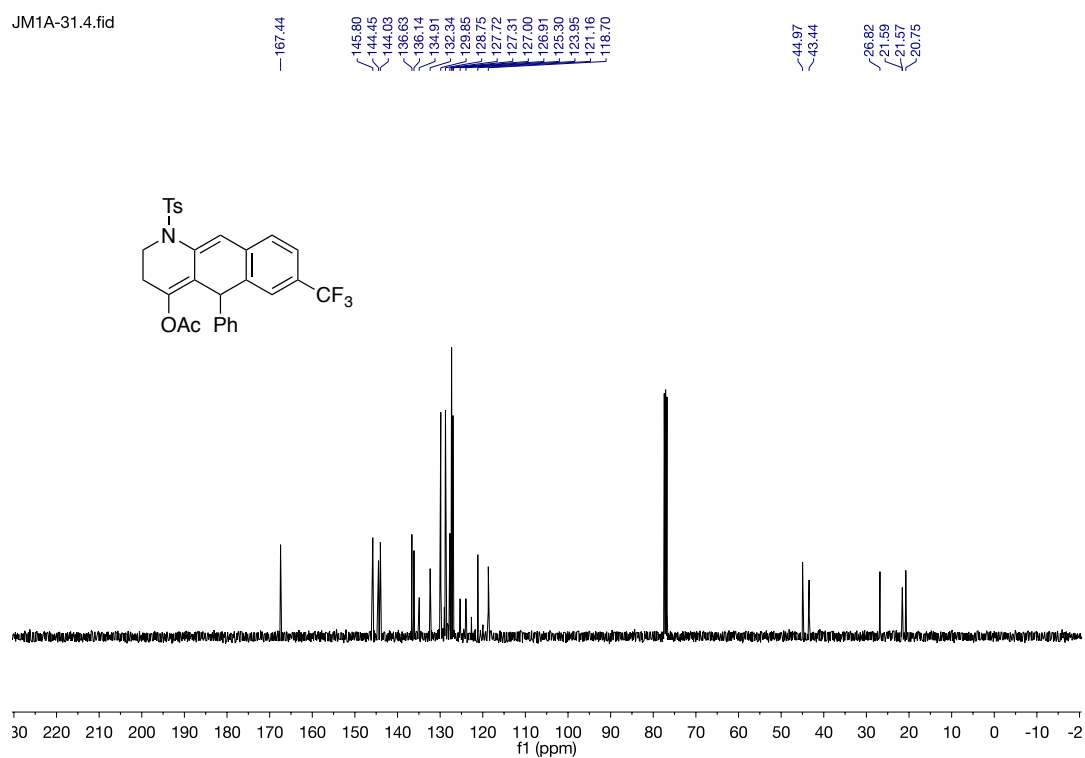
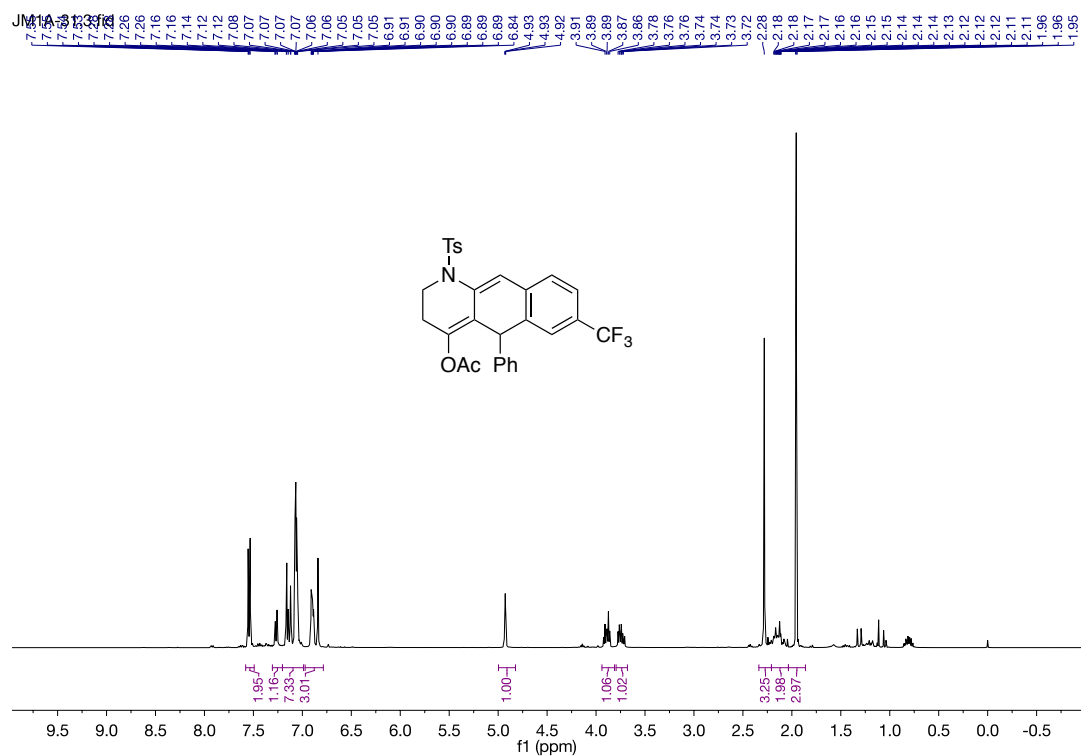


Figure S39. ^1H and ^{13}C NMR Spectra of **5q**

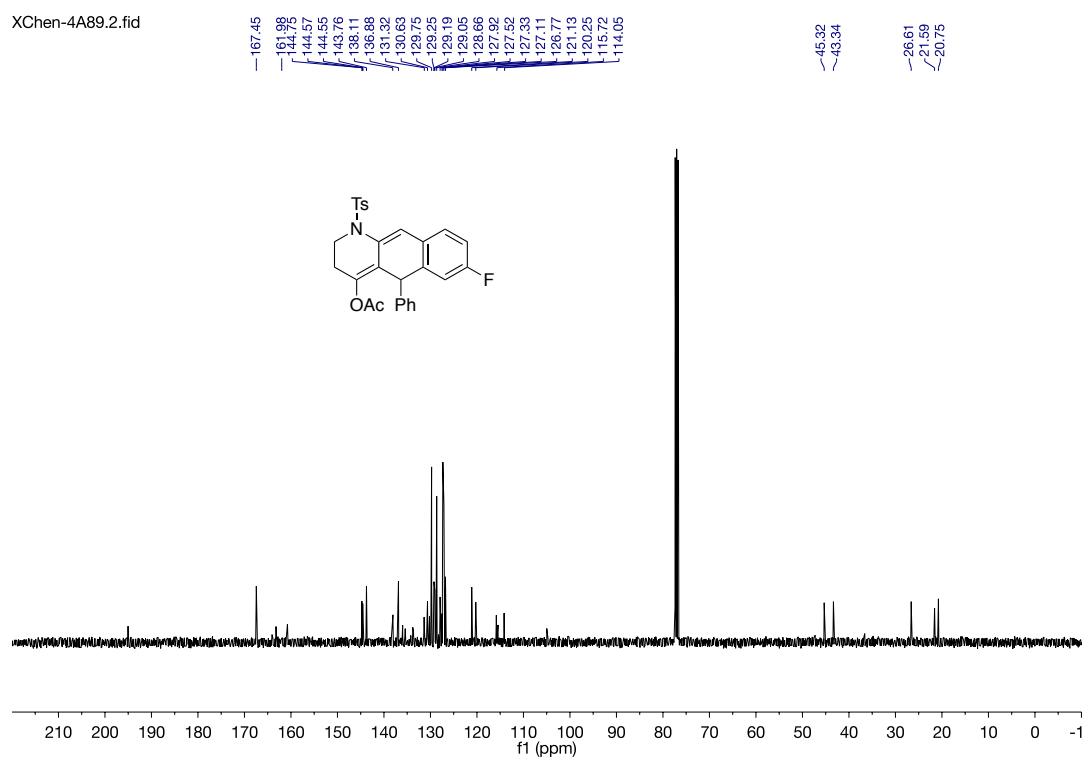
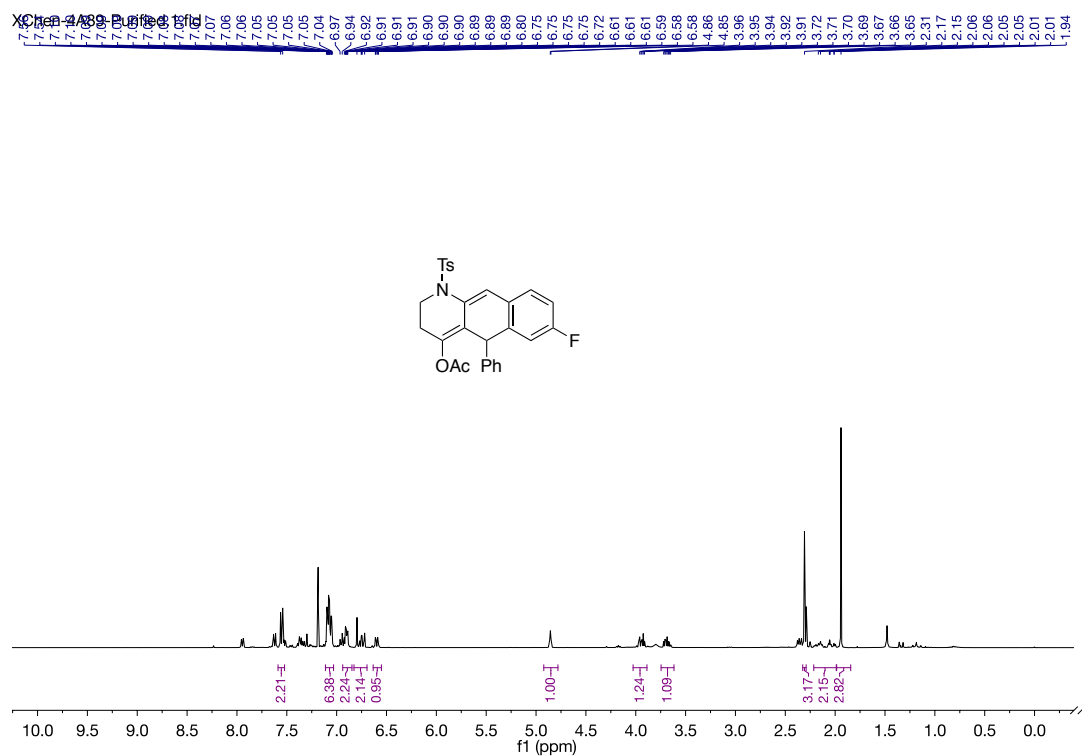


Figure S40. ^1H and ^{13}C NMR Spectra of **5r**

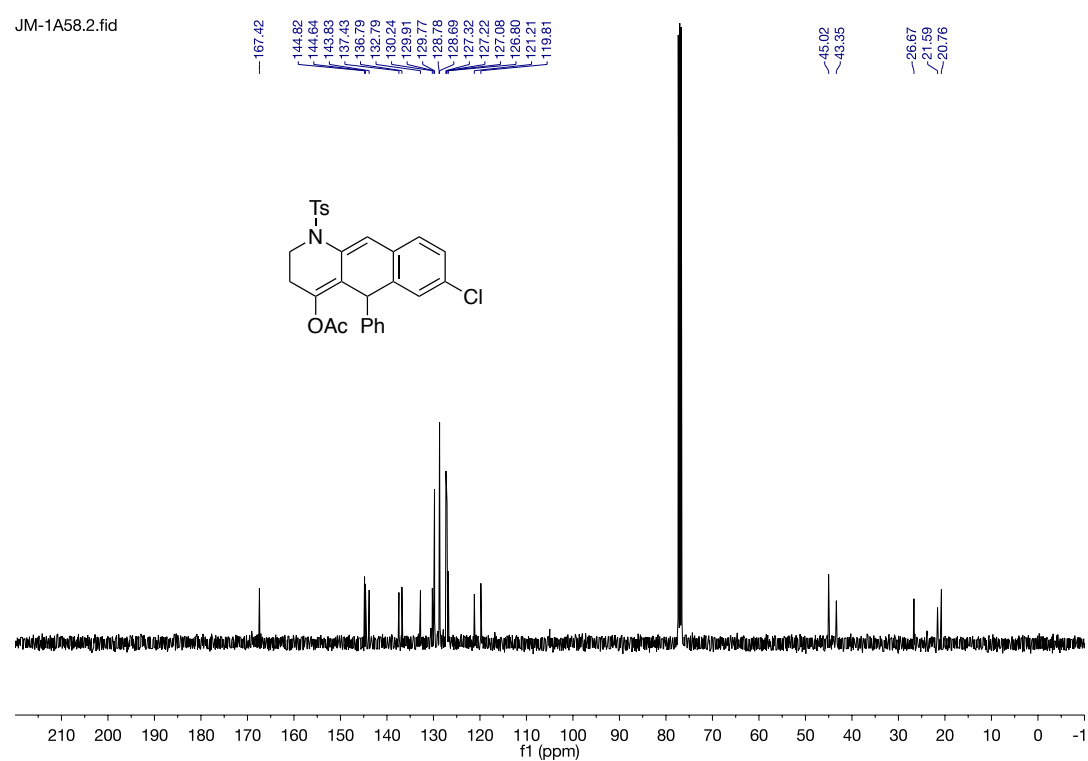
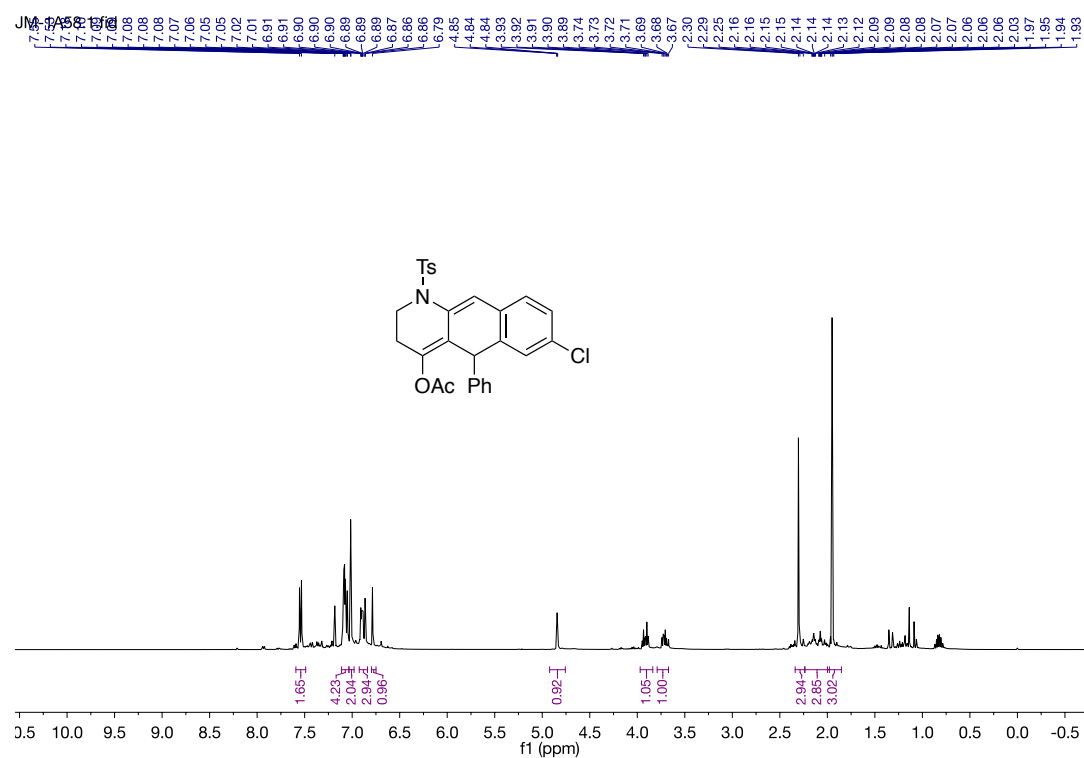


Figure S41. ^1H and ^{13}C NMR Spectra of **5s**

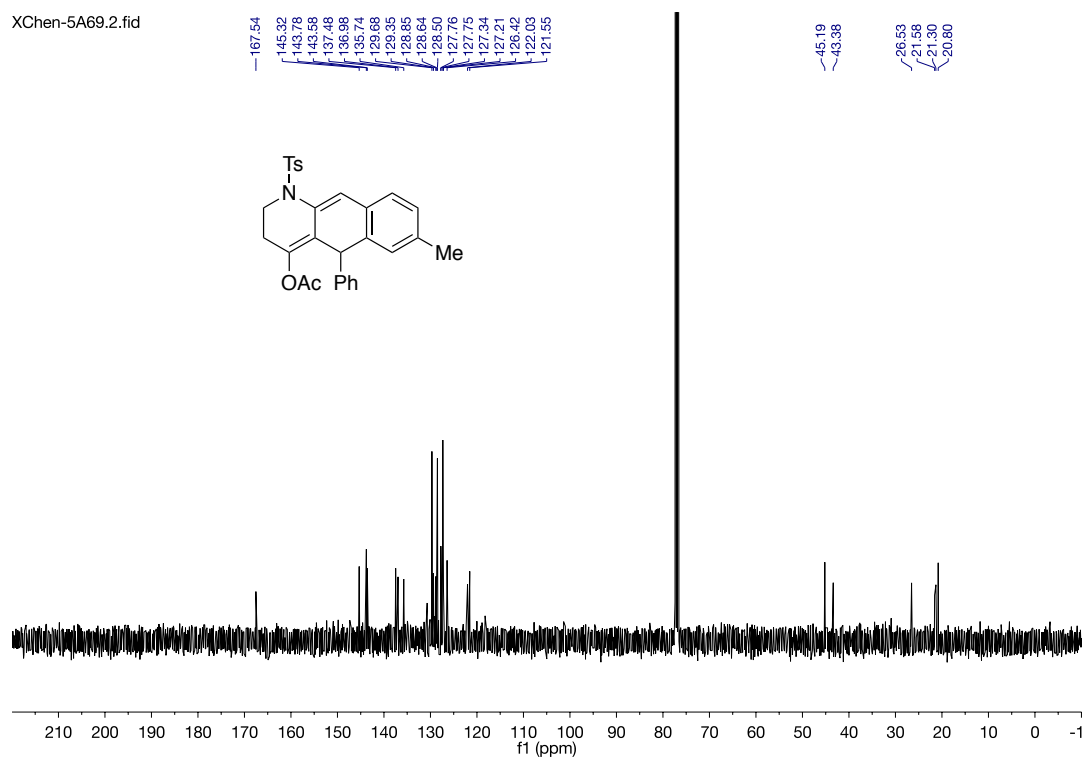
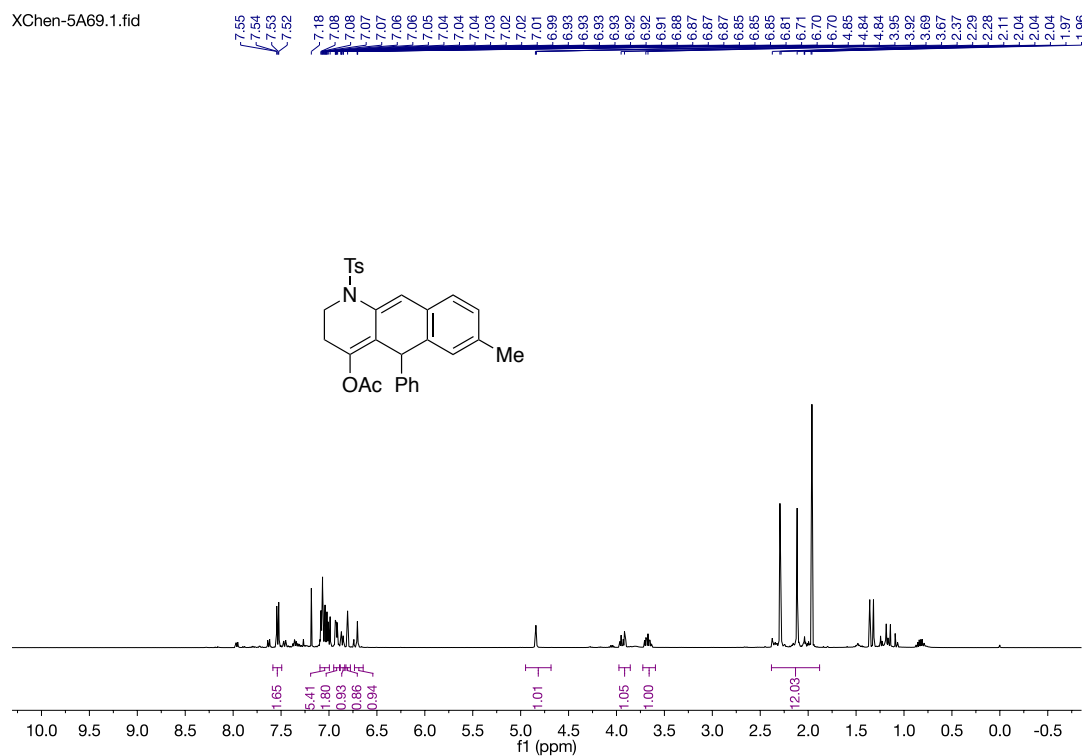


Figure S42. ^1H and ^{13}C NMR Spectra of **5t**

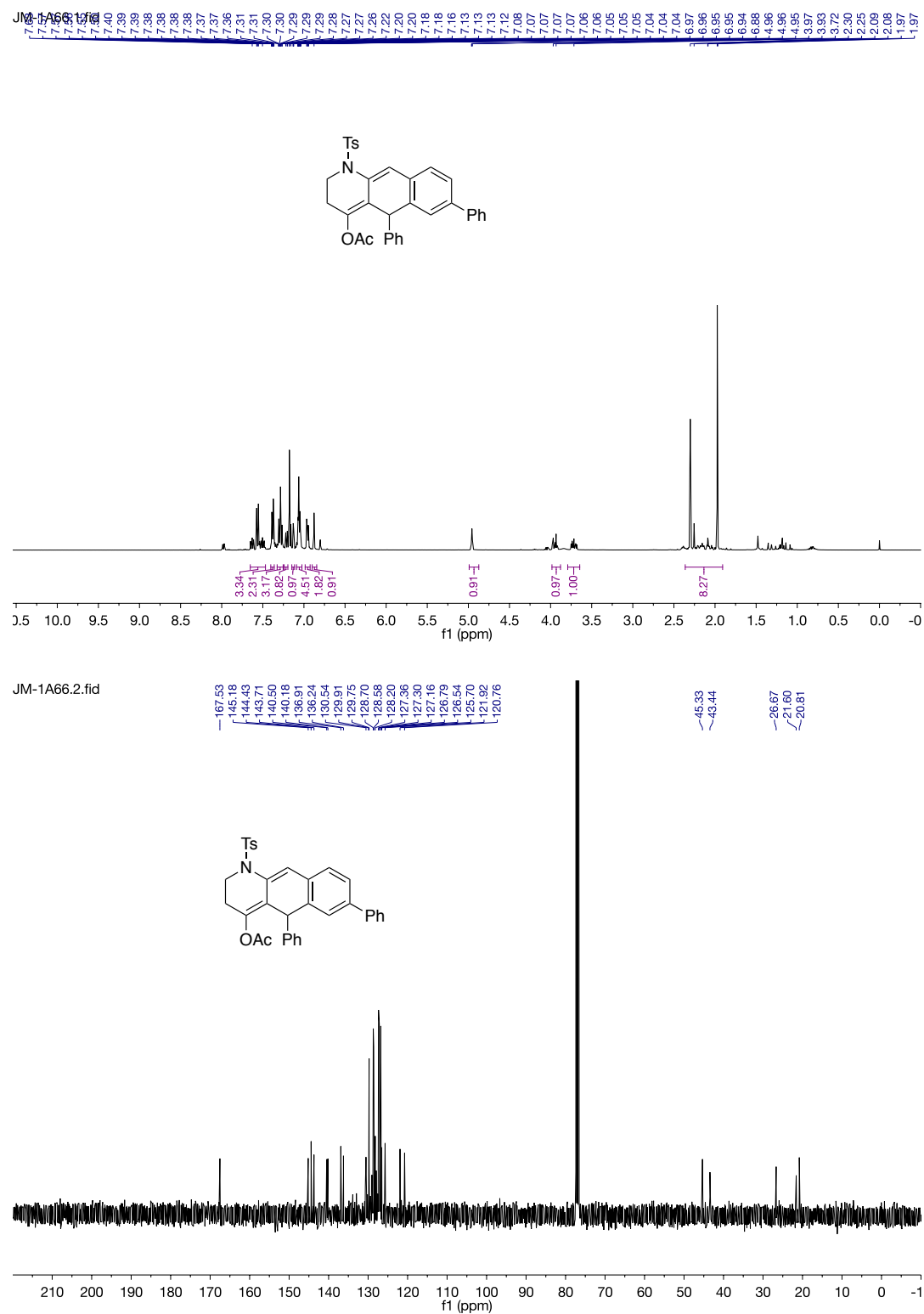


Figure S43. ^1H and ^{13}C NMR Spectra of **5u**

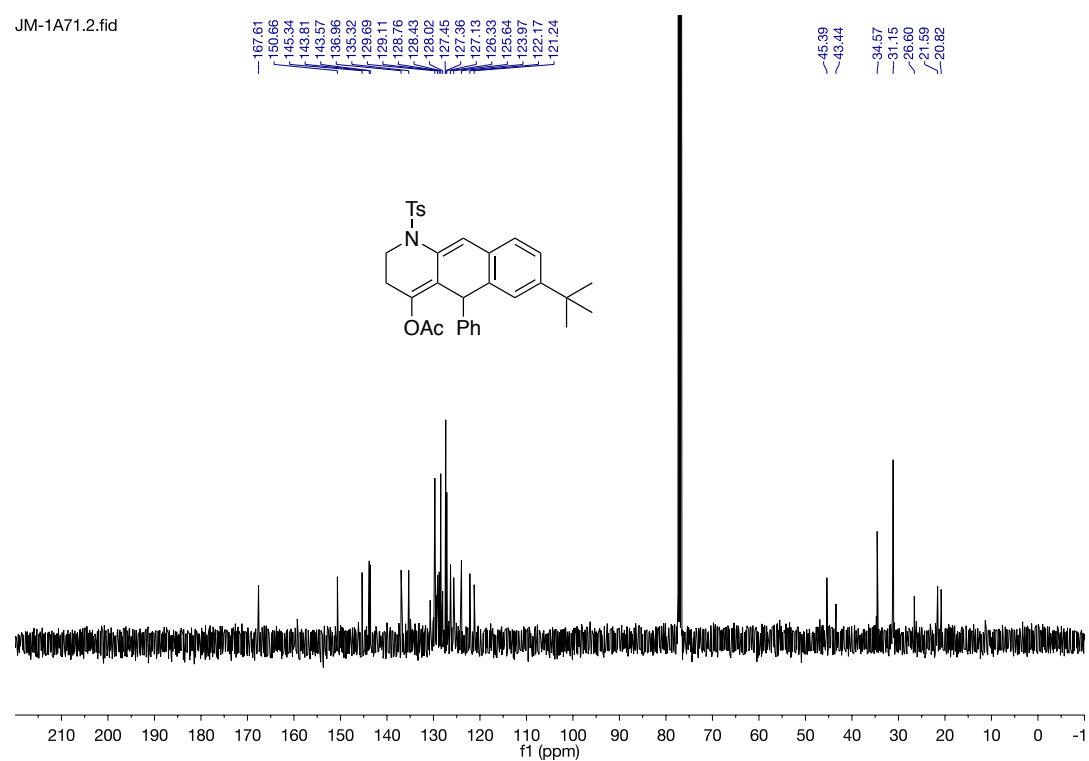
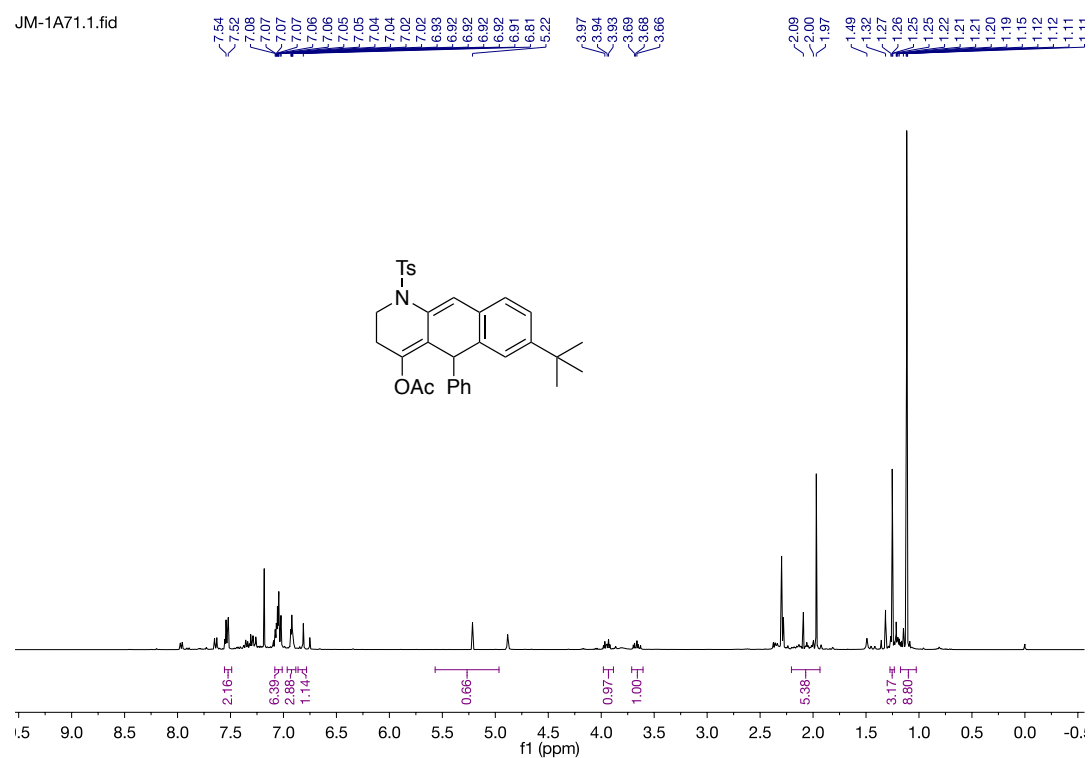


Figure S44. ^1H and ^{13}C NMR Spectra of **5v**

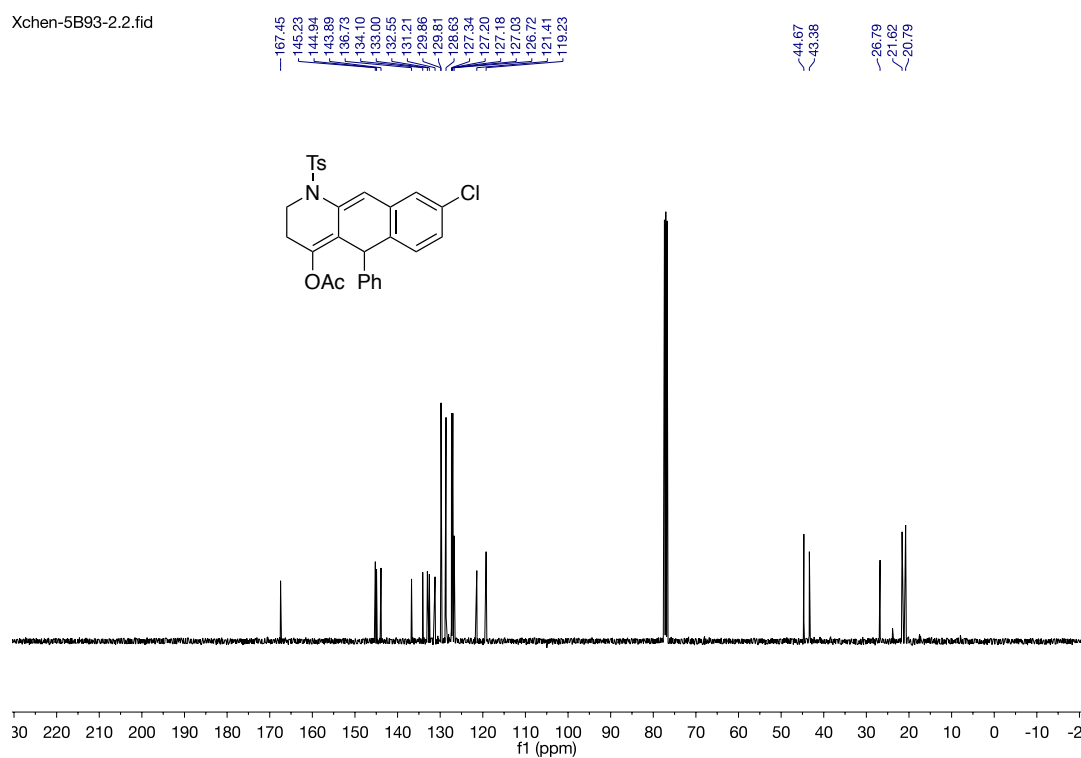
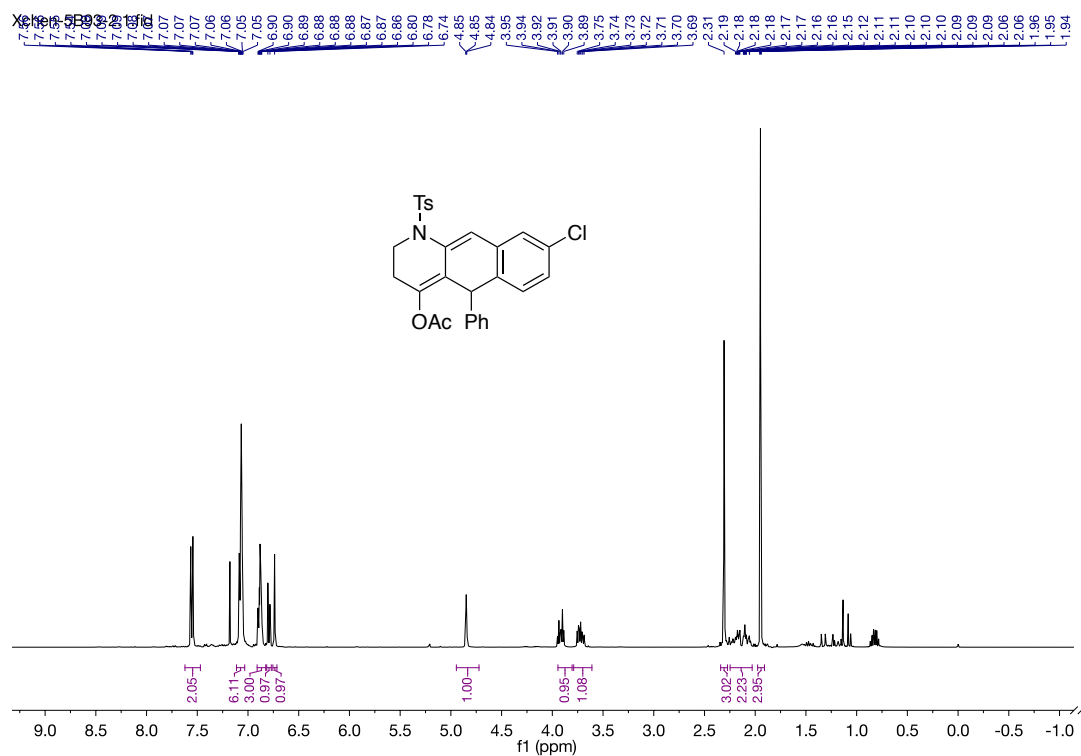
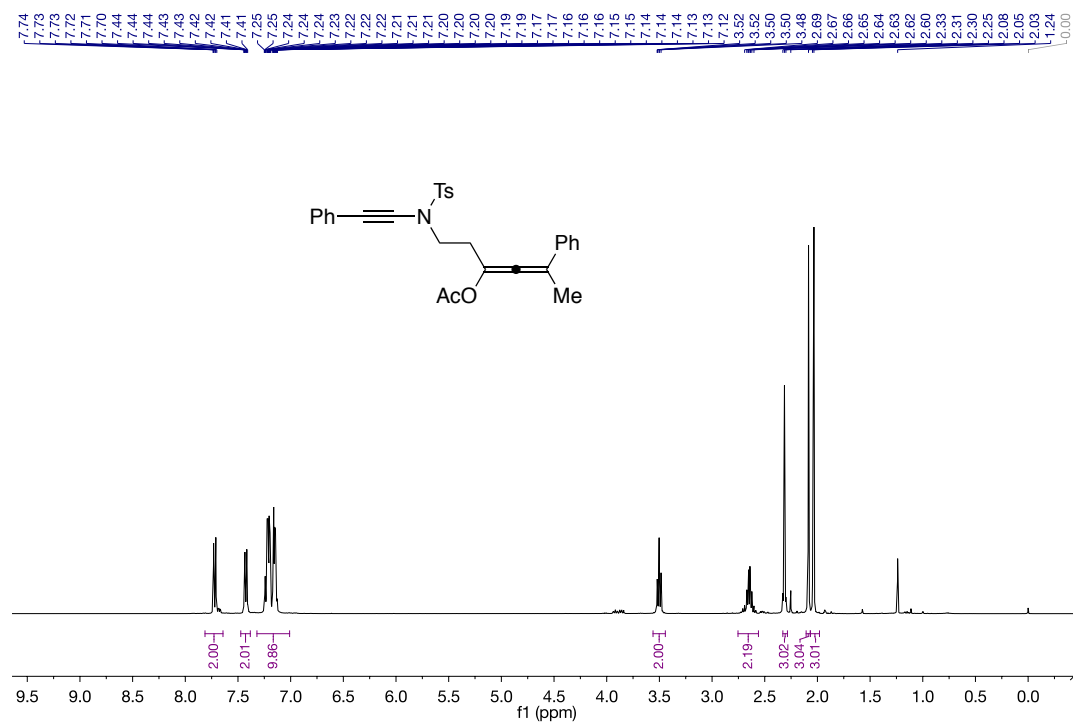
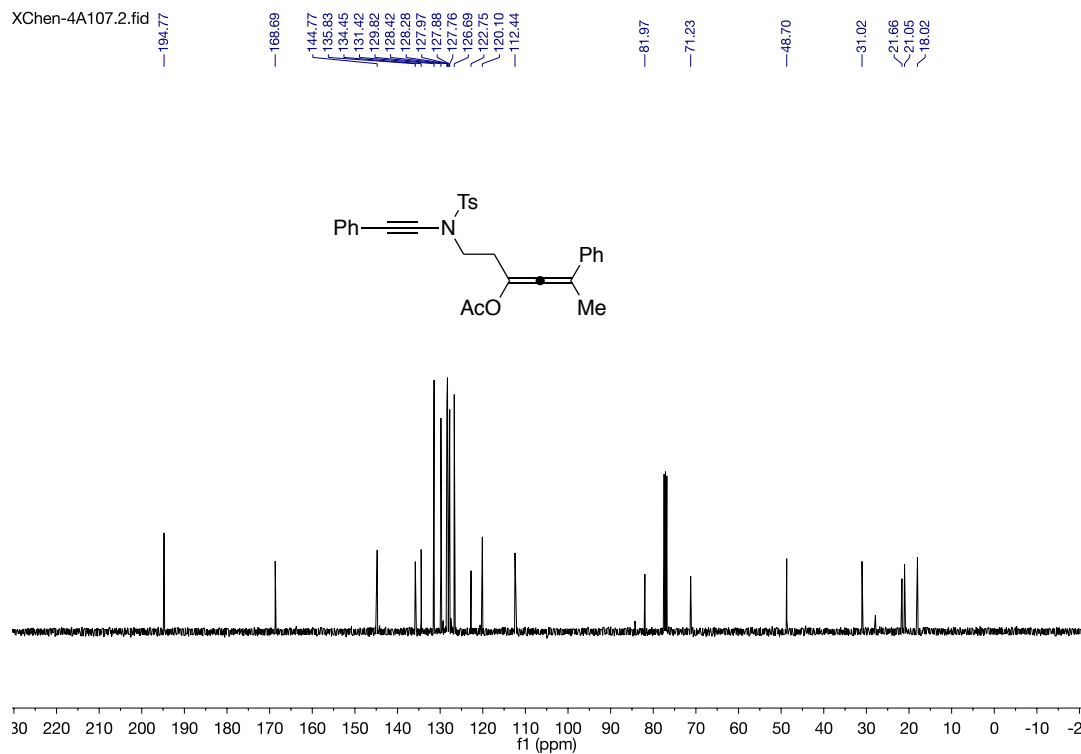


Figure S45. ^1H and ^{13}C NMR Spectra of **60**

XChen-4A107.1.fid



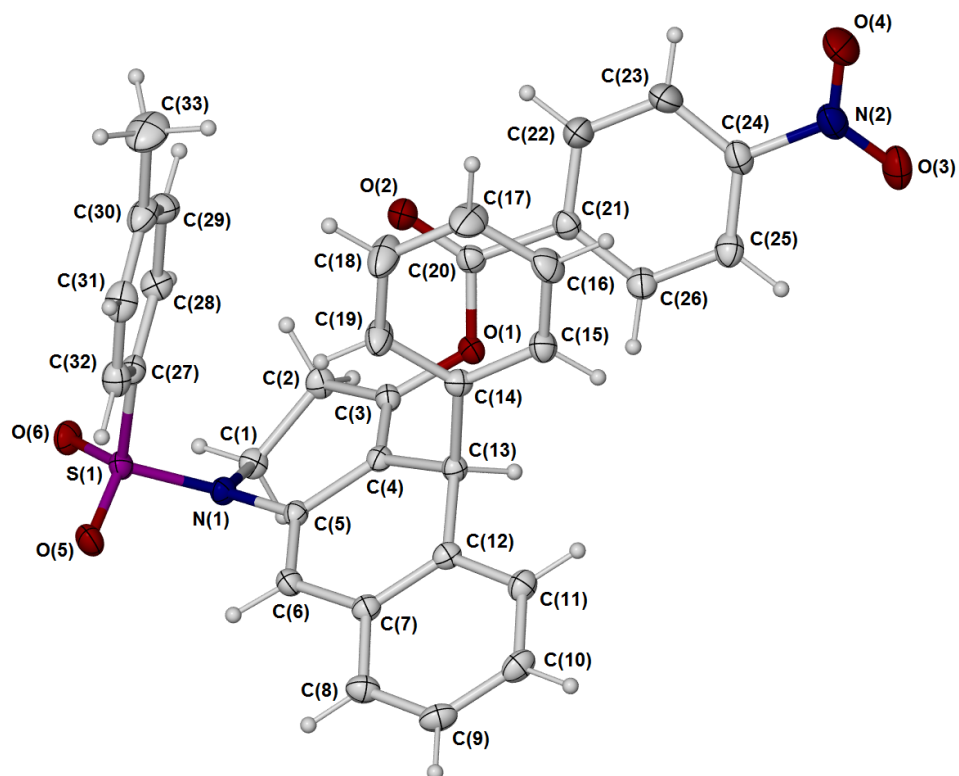
XChen-4A107.2.fid



VI. ORTEP Drawing

Figure S46. ORTEP Drawing of **5b** with Thermal Ellipsoids at 50% Probability

Levels.^{S3}



VI. References

- S1. Liu, Y.; Huang, Y.; Song, H.; Liu, Y.; Wang, Q. *Chem. Eur. J.* **2015**, *21*, 5337.
- S2. Pawar, S. K.; Sahani, R. L.; Liu, R. S. *Chem. Eur. J.* **2015**, *21*, 10843.
- S3. CCDC 1817966 (**5b**) contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.