Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Fe-Mediated Oxidative Cascade [1+2+3]-Cyclization/Esterification Reaction: Synthesis of 4-Alkylated 1,4-Dihydropyridines

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Supporting Information

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1. General information.

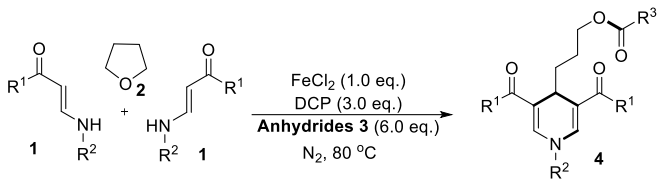
All compounds were fully characterized by spectroscopic data. The NMR spectra were recorded on a DRX600 (^{1}H: 600 MHz, ^{13}C: 150 MHz), chemical shifts (δ) are expressed in ppm, and J values are given in Hz, and deuterated $CDCl_3$ and DMSO-d_6 were used as solvent. The reactions were monitored by thin layer chromatography (TLC) using silica gel GF_{254}. The melting points were determined on XT-4A melting point apparatus and are uncorrected. HRMs were performed on an Agilent LC/MS TOF instrument.

All chemicals and solvents were used as received without further purification unless otherwise stated. Column chromatography was performed on silica gel (200–300 mesh).

Enaminones **1** were prepared according to the literature[1]. Other reagents were purchased from Energy Chemical and Adamas-beta®.

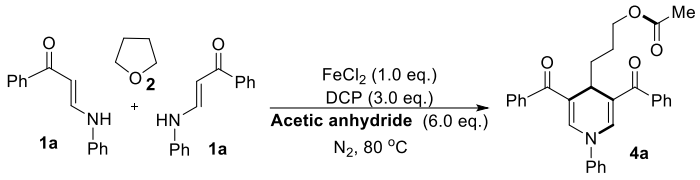
2. General procedure.

2.1 Synthesis of 1,4-DHPs 4.



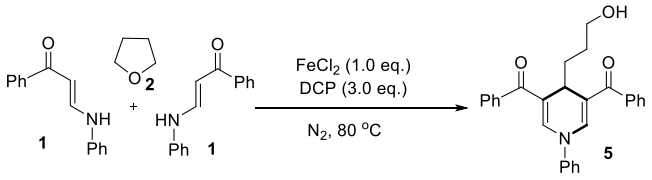
Enaminones **1** (0.4 mmol), $FeCl_2$ (0.2 mmol), acid anhydrides **3** (1.2 mmol), DCP (0.6 mmol) and tetrahydrofuran (3.0 mL) were charged into a 10 mL Ace Glass pressure tubes under nitrogen atmosphere, and the mixture was stirred at 80 °C for 8.0 h until enaminones were completely consumed. The mixture was cooled to room temperature, and then EtOAc (15 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na_2SO_4, concentrated and purified by flash column chromatography to afford 1,4-DHPs **4**.

2.2 Gram-level synthesis of 1,4-DHPs 4a.



Enaminone **1a** (10 mmol), $FeCl_2$ (5.0 mmol), acetic anhydride (30 mmol), DCP (15 mmol) and tetrahydrofuran (50 mL) were charged into a 10 mL Ace Glass pressure tubes under nitrogen atmosphere, and the mixture was stirred at 80 °C for 8.0 h until enaminones were completely consumed. The mixture was cooled to room temperature, and then EtOAc (30 mL × 3) were added. The organic phase was washed with water (30 mL), dried over Na_2SO_4, concentrated and purified by flash column chromatography to afford 1,4-DHPs **4a** in 49% yield (1.1 g).

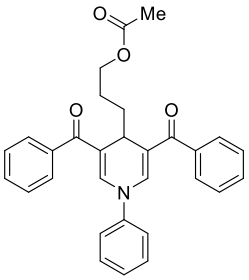
2.3 Synthesis of 1,4-DHP 5.



Enaminone **1a** (0.4 mmol), $FeCl_2$ (0.2 mmol), DCP (0.6 mmol) and tetrahydrofuran (3.0 mL) were charged into a 10 mL Ace Glass pressure tubes under nitrogen atmosphere, and the mixture was stirred at 80 °C for 8.0 h until enaminones were completely consumed. The mixture was cooled to room temperature, and then EtOAc (15 mL × 2) were added. The organic phase was washed with water (10 mL), dried over Na_2SO_4, concentrated and purified by flash column chromatography to afford 1,4-DHP **5** in 42% yield.

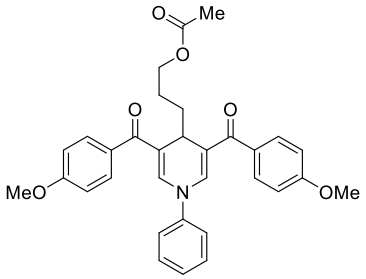
3. Spectroscopic data.

3-(3,5-Dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4a)



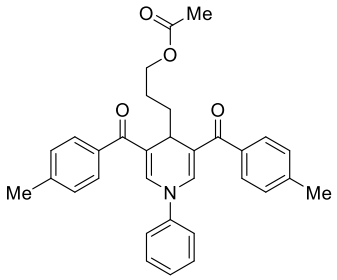
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 68 mg (73%); mp = 115–117 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.69 (d, J = 7.6 Hz, 4H, ArH), 7.59–7.57 (m, 2H, ArH), 7.53–7.50 (m, 4H, ArH), 7.43–7.40 (m, 2H, ArH), 7.33–7.32 (m, 2H, ArH), 7.29–7.28 (m, 3H, ArH+C=CH), 4.46 (t, J = 5.2 Hz, 1H, C-CH), 4.00 (t, J = 6.5 Hz, 2H, C-CH_2), 1.94 (s, 3H, C-CH_3), 1.69–1.62 (m, 2H, C-CH_2), 1.58–1.55 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 143.2, 141.7, 141.7, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 118.7, 118.7, 64.5, 31.9, 29.9, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{27}NO_4$ [(M+H)$^+$], 466.2013, found, 466.2017.

3-(3,5-Bis(4-methoxybenzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4b)



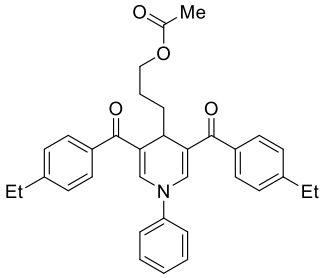
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 76 mg (72%); mp = 137–139 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.70 (d, J = 8.3 Hz, 4H, ArH), 7.43–7.40 (m, 2H, ArH), 7.36–7.35 (m, 2H, ArH), 7.27–7.26 (m, 3H, ArH+C=CH), 7.04 (d, J = 8.2 Hz, 5H, ArH), 4.42 (t, J = 5.4 Hz, 1H, C-CH), 3.96 (t, J = 6.5 Hz, 2H, C-CH_2), 3.82 (s, 6H, ArOCH_3), 1.91 (s, 3H, C-CH_3), 1.63–1.60 (m, 2H, C-CH_2), 1.54–1.50 (m, 2H, CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 193.8, 193.8, 170.9, 162.4, 162.4, 143.3, 140.4, 140.4, 131.5, 131.5, 131.2, 131.2, 131.2, 131.2, 130.4, 130.4, 126.9, 121.4, 121.4, 118.6, 118.6, 114.3, 114.3, 114.3, 114.3, 64.44, 55.85, 55.85, 32.10, 30.64, 24.61, 21.16; HRMS (TOF ES$^+$): m/z calcd for $C_{32}H_{31}NO_6$ [(M+H)$^+$], 526.2224, found, 526.2238.

3-(3,5-Bis(4-methylbenzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4c)



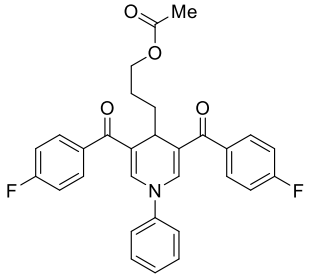
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f=0.5; Yellow solid: 66 mg (68%); mp = 157–159 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ= 7.60–7.58 (m, 4H, ArH), 7.41–7.39 (m, 2H, ArH), 7.32–7.30 (m, 6H, ArH+C=CH), 7.26–7.24 (m, 2H, ArH), 4.43–4.41 (m, 1H, C-CH), 3.98–3.96 (m, 2H, C-CH_2), 2.36 (s, 6H, $ArCH_3$), 1.91 (s, 3H, C-CH_3), 1.63–1.61 (m, 2H, C-CH_2), 1.54–1.52 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ =194.6, 194.6, 170.9, 143.3, 141.9, 141.9, 141.2, 141.2, 136.5, 136.5, 130.5, 130.5, 129.5, 129.5, 129.5, 129.5, 129.1, 129.1, 129.1, 129.1, 127.0, 121.5, 121.5, 118.7, 118.7, 64.4, 31.9, 30.1, 24.5, 21.5, 21.5, 21.2; HRMS (TOF ES+): m/z calcd for $C_{32}H_{31}NO_4$ [(M+H)$^+$], 493.2373, found, 493.2382.

3-(3,5-Bis(4-ethylbenzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4d)



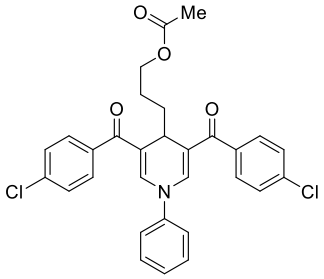
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 63 mg (60%); mp = 134–136 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.63 (d, J = 7.8 Hz, 4H, ArH), 7.43–7.41 (m, 2H, ArH), 7.35 (d, J = 7.8 Hz, 6H, ArH), 7.29-7.27 (m, 3H, C=CH+ArH), 4.44 (t, J = 5.9 Hz, 1H, C-CH), 3.98 (t, J = 6.4 Hz, 2H, C-CH_2), 2.69–2.65 (m, 4H, C-CH_2), 1.93 (s, 3H, C-CH_3), 1.67–1.60 (m, 2H, C-CH_2), 1.57–1.52 (m, 2H, C-CH_2), 1.20 (t, J = 7.6 Hz, 6H, C-CH_3); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.6, 194.6, 170.9, 148.0, 148.0, 143.3, 141.2, 141.2, 136.7, 136.7, 130.5, 130.5, 130.5, 130.5, 129.2, 129.2, 129.2, 129.2, 128.4, 128.4, 128.4, 128.4, 127.1, 121.7, 121.7, 118.6, 118.6, 64.5, 32.0, 30.2, 28.5, 24.6, 21.2, 15.7; HRMS (TOF ES$^+$): m/z calcd for $C_{34}H_{35}NO_4$ [(M+H)$^+$], 520.2614, found, 520.2625.

3-(3,5-Bis(4-fluorobenzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4e)



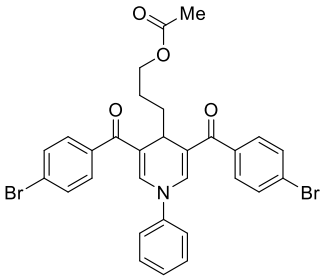
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 65 mg (65%); mp = 127-129 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.78–7.76 (m, 4H, ArH), 7.41–7.28 (m, 11H, ArH+C=CH), 4.43–4.41 (m, 1H, C-CH), 3.98–3.96 (m, 2H, C-CH_2), 1.92 (s, 3H, C-CH_3), 1.63–1.61 (m, 2H, CH_2), 1.55–1.53 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 193.6, 193.6, 170.9, 164.3 ($J_{C\text{-}F}$ = 249.5 Hz), 164.3 ($J_{C\text{-}F}$ = 249.5 Hz), 143.2, 141.7, 141.7, 135.7, 131.7 ($J_{C\text{-}F}$ = 9.0 Hz), 131.7 ($J_{C\text{-}F}$ = 9.0 Hz), 131.7 ($J_{C\text{-}F}$ = 9.0 Hz), 131.7 ($J_{C\text{-}F}$ = 9.0 Hz), 130.40, 130.40, 130.40, 130.40, 127.1, 121.6, 121.6, 118.5, 118.5, 116.0 ($J_{C\text{-}F}$ = 21.6 Hz), 116.0 ($J_{C\text{-}F}$ = 21.6 Hz), 116.0 ($J_{C\text{-}F}$ = 21.6 Hz), 116.0 ($J_{C\text{-}F}$ = 21.6 Hz), 64.4, 31.9, 30.0, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{25}Cl_2NO_4$ [(M+H)$^+$], 502.1824, found, 502.1831.

3-(3,5-Bis(4-chlorobenzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4f)



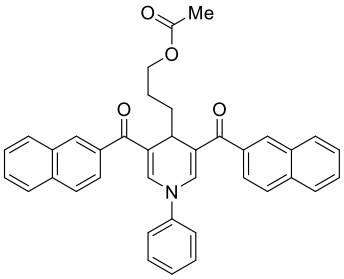
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 59 mg (56%); mp = 153–155 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.73 (d, J = 7.9 Hz, 4H, ArH), 7.58–7.57 (m, 4H, ArH), 7.43–7.39 (m, 2H, ArH), 7.33 (s, 2H, C=CH), 7.31–7.30 (m, 1H, ArH), 4.43–4.42 (m, 1H, C-CH), 4.00–3.98 (m, 2H, C-CH_2), 1.94 (s, 3H, C-CH_3), 1.69–1.61 (m, 2H, C-CH_2), 1.61–1.50 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 193.6, 193.6, 170.9, 143.1, 142.0, 142.0, 137.9, 137.9, 136.6, 130.6, 130.9, 130.9, 130.9, 130.9, 130.4, 130.4, 129.1, 129.1, 129.1, 129.1, 127.2, 121.7, 121.7, 118.5, 118.5, 64.4, 31.8, 29.9, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{25}Cl_2NO_4$ [(M+H)$^+$], 534.1233, found, 534.1238.

3-(3,5-Bis(4-bromobenzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4g)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 66 mg (54%); mp = 168–170 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.71 (d, J = 8.1 Hz, 4H, ArH), 7.64 (d, J = 8.1 Hz, 4H, ArH), 7.44–7.41 (m, 2H, ArH), 7.39–7.37 (m, 2H, ArH), 7.32–7.28 (m, 3H, ArH+C=CH), 4.41 (t, J = 5.0 Hz, 1H, C–CH), 3.98 (t, J = 6.4 Hz, 2H, C-CH_2), 1.94 (s, 3H, C-CH_3), 1.67–1.59 (m, 2H, C-CH_2), 1.56–1.53 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 193.8, 193.8, 170.9, 143.1, 142.0, 142.0, 138.3, 138.3, 132.0, 132.0, 132.0, 132.0, 131.0, 131.0, 131.0, 131.0, 130.4, 130.4, 127.2, 125.5, 125.5, 121.7, 121.7, 118.5, 118.5, 64.4, 31.8, 29.8, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{26}Br_2NO_4$ [(M+H)$^+$], 622.0023, found, 622.0030.

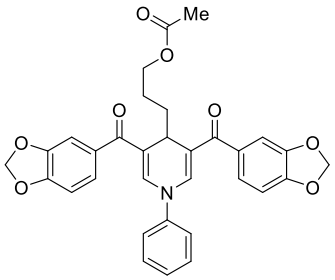
3-(3,5-Di(2-naphthoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4h)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 3:1, R_f = 0.5; Yellow solid: 66 mg (58%); mp = 175–177 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 8.34 (s, 2H, ArH), 8.14–8.13 (m, 2H, ArH), 8.05–7.99 (m, 4H, ArH), 7.78 (d, J = 8.5 Hz, 2H, ArH), 7.65–7.55 (m, 4H, ArH), 7.43 (s, 2H, ArH), 7.36–7.34 (m, 4H, ArH+C=CH), 7.22–7.20 (m, 1H, ArH), 4.57–4.55 (m, 1H, C–CH), 4.05 (t, J = 6.6 Hz, 2H, C-CH_2), 1.92 (s, 3H, C-CH_3),

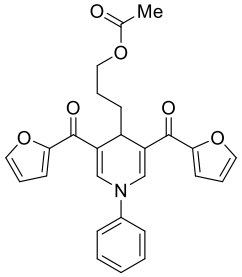
1.79–1.72 (m, 2H, C-CH_2), 1.68–1.64 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 171.0, 143.2, 141.9, 136.6, 136.6, 134.6, 134.6, 132.6, 132.6, 130.4, 130.4, 130.4, 129.6, 129.6, 129.3, 129.3, 128.7, 128.7, 128.3, 128.3, 128.1, 128.1, 127.4, 127.4, 127.0, 125.8, 125.8, 121.5, 121.5, 121.5, 119.0, 119.0, 64.6, 32.2, 30.1, 24.7, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{38}H_{31}NO_4$ [(M+H)$^+$], 566.2326, found, 566.2333.

3-(3,5-Bis(benzo[*d*][1,3]dioxole-5-carbonyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4i)



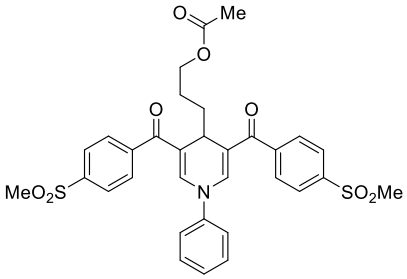
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 34 mg (31%); mp = 137-139 ^{o}C; ^{1}H NMR (600 MHz, DMSO-d_6) δ =7.43 (t, J = 7.8 Hz, 2H, ArH), 7.38 (d, J = 8.0 Hz, 2H, ArH), 7.30–7.28 (m, 4H, ArH), 7.23 (s, 2H, C=CH), 7.02 (d, J = 8.0 Hz, 2H, ArH), 6.12 (d, J = 4.5 Hz, 4H, C-CH_2), 4.39–4.38 (m, 1H, C–CH), 3.96 (t, J = 6.5 Hz, 2H, C-CH_2), 1.92 (s, 3H, C-CH_3), 1.64–1.55 (m, 2H, C-CH_2), 1.52-1.49 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 143.2, 141.7, 141.7, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 118.7, 118.7, 64.5, 31.9, 29.9, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{32}H_{27}NO_8$ [(M+H)$^+$], 554.1809, found, 554.1814.

3-(3,5-Di(furan-2-carbonyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4j)



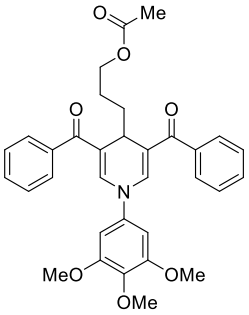
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f = 0.5; Yellow solid: 53 mg (59%); mp = 130–132 ^{o}C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.99 (d, J = 8.9 Hz, 4H, C=CH), 7.59–7.57 (m, 2H, C=CH), 7.54–7.51 (m, 2H, ArH), 7.38–7.35 (m, 3H, ArH+C=CH), 6.76–6.67 (m, 2H, C=CH), 4.36–7.34 (m, 1H, C–CH), 3.93–3.91 (m, 2H, C-CH_2), 1.90 (s, 3H, C-CH_3), 1.56-1.50 (m, 2H, C-CH_2), 1.49–1.44 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 179.9, 179.9, 170.8, 152.3, 152.3, 147.4, 147.4, 143.4, 139.9, 139.9, 130.5, 130.5, 127.1, 121.6, 121.6, 118.5, 118.5, 117.8, 117.8, 112.6, 112.6, 64.4, 32.0, 29.9, 24.4, 21.1; HRMS (TOF ES$^+$): m/z calcd for $C_{26}H_{23}NO_6$ [(M+H)$^+$], 446.1598, found, 446.1604.

3-(3,5-Bis(4-(methylsulfonyl)benzoyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4k)



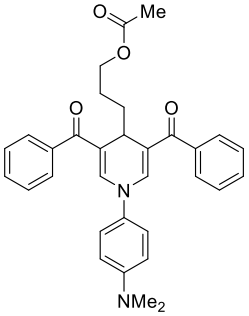
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 3:1, R_f = 0.5; Yellow solid: 54 mg (44%); mp = 171-173 ^oC; ^1H NMR (600 MHz, DMSO-d_6) δ = 8.04 (d, J = 8.0 Hz, 4H, ArH), 7.93 (d, J = 8.0 Hz, 4H, ArH), 7.46–7.38 (m, 4H, ArH), 7.35 (s, 2H, C=CH), 7.32–7.28 (m, 1H, ArH), 4.44 (t, J = 5.2 Hz, 1H, C–CH), 4.01 (t, J = 6.5 Hz, 2H, C-CH_2), 3.29 (s, 6H, C-CH_3), 1.96 (s, 3H, C-CH_3), 1.67–1.64 (m, 2H, C-CH_2), 1.61–1.58 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 193.6, 193.6, 170.9, 143.6, 143.6, 143.1, 143.1, 143.0, 143.0, 130.4, 130.4, 130.4, 130.4, 129.7, 129.7, 129.7, 129.7, 127.7, 127.7, 127.7, 127.7, 122.1, 122.1, 118.5, 118.5, 64.5, 43.7, 43.7, 31.9, 29.4, 24.5, 21.2; HRMS (TOF ES^+): m/z calcd for $C_{32}H_{31}NO_8S_2$ [$(M+H)^+$], 622.1564, found, 622.1558.

3-(3,5-Dibenzoyl-1-(3,4,5-trimethoxyphenyl)-1,4-dihydropyridin-4-yl)propyl acetate (4l)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f = 0.5; Yellow solid: 50 mg (48%); mp = 135–137 ^oC; ^1H NMR (600 MHz, DMSO-d_6) δ = 7.71–7.69 (m, 4H, ArH), 7.56–7.49 (m, 6H, ArH), 7.22 (s, 2H, C=CH), 6.68–7.66 (m, 2H, ArH), 4.43–4.45 (m, 1H, C–CH), 3.40–3.38 (s, 2H, C-CH_2), 3.72 (s, 6H, $ArOCH_3$), 3.58 (s, 3H, $ArOCH_3$), 1.92 (s, 3H, C-CH_3), 1.66–1.64 (m, 2H, C-CH_2), 1.57–1.55 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 153.9, 153.9, 153.9, 142.4, 142.4, 139.6, 139.2, 136.7, 131.9, 131.9, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 118.1, 118.1, 100.5, 100.5, 64.5, 60.5, 56.7, 56.7, 32.0, 30.0, 24.6, 21.2; HRMS (TOF ES^+): m/z calcd for $C_{31}H_{30}NO_5$ [$(M+H)^+$], 556.2330, found, 556.2333

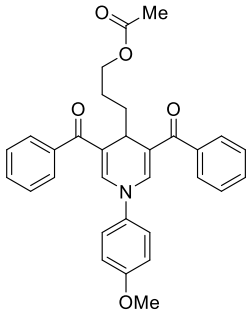
3-(3,5-Dibenzoyl-1-(4-(dimethylamino)phenyl)-1,4-dihydropyridin-4-yl)propyl acetate (4m)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow liquid: 47 mg (46%); ^1H NMR (600 MHz, DMSO-d_6) δ =

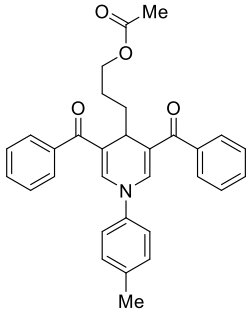
7.65–7.61 (m, 4H, ArH), 7.54 (d, *J* = 7.6 Hz, 2H, ArH), 7.50–7.47 (m, 4H, ArH), 7.12–7.09 (m, 4H, ArH+C=CH), 6.67 (d, *J* = 8.5 Hz, 2H, ArH), 4.44–4.43 (m, 1H, C–CH), 4.00 (t, *J* = 6.5 Hz, 2H, CH_2), 2.84 (s, 6H, N-CH_3), 1.94 (s, 3H, C-CH_3), 1.66–1.64 (m, 2H, C-CH_2), 1.57–1.53 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.7, 194.7, 170.9, 149.8, 142.9, 142.9, 139.4, 139.4, 132.7, 131.6, 131.6, 128.5, 128.5, 128.5, 128.5, 128.9, 128.9, 128.9, 128.9, 123.2, 123.2, 117.8, 117.8, 113.3, 113.3, 64.5, 40.6, 40.6, 31.9, 29.6, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{31}H_{30}NO_5$ [(M+H)$^+$], 509.2435, found, 509.2441.

3-(3,5-Dibenzoyl-1-(4-methoxyphenyl)-1,4-dihydropyridin-4-yl)propyl acetate (4n)



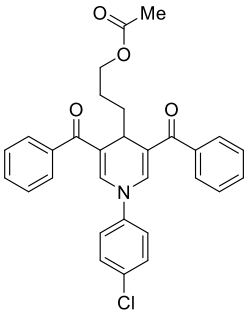
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f = 0.5; Yellow solid: 50 mg (47%); mp = 113–115 ^{o}C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.66 (d, *J* = 7.6 Hz, 4H, ArH), 7.58–7.55 (m, 2H, ArH), 7.51–7.49 (m, 4H, ArH), 7.28 (d, *J* = 8.7 Hz, 2H, ArH), 7.15 (s, 2H, C=CH), 6.95 (d, *J* = 8.6 Hz, 2H, ArH), 4.44 (t, *J* = 5.2 Hz, 1H, C–CH), 4.00 (t, *J* = 6.6 Hz, 2H, C-CH_2), 3.72 (s, 3H, ArOCH_3), 1.94 (s, 3H, CH_3), 1.68–1.63 (m, 2H, C-CH_2), 1.59–1.53 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.7, 194.7, 190.7, 170.9, 158.4, 142.5, 142.5, 139.3, 139.3, 136.6, 131.7, 131.7, 129.0, 129.0, 129.0,129.0, 128.8, 128.8, 128.8, 128.8, 123.7, 123.7, 118.1, 118.1, 115.5, 115.5, 64.5, 55.9, 31.9, 29.7, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{31}H_{29}NO_5$ [(M+H)$^+$], 496.2118, found, 496.2122.

3-(3,5-Dibenzoyl-1-(*p*-tolyl)-1,4-dihydropyridin-4-yl)propyl acetate (4o)



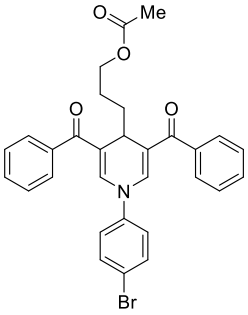
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 63 mg (66%); mp = 127–129 ^{o}C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.66–7.65 (m, 4H, ArH), 7.57–7.55 (m, 2H, ArH), 7.51–7.49 (m, 4H, ArH), 7.20–7.18 (m, 6H, ArH+C=CH), 4.44–4.42 (m, 1H, C–CH), 4.00–4.38 (m, 2H, C-CH_2), 2.24 (s, 3H, ArCH_3), 1.92 (s, 3H, C-CH_3), 1.65–1.63 (m, 2H, CH_2), 1.56–1.54 (m, 2H, CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 142.0, 142.0, 140.9, 139.2, 139.2, 136.8, 131.8, 131.8, 130.8, 130.8, 130.0, 130.0, 130.0, 130.0, 128.8, 128.8, 128.8, 128.8, 121.7, 121.7, 118.4, 118.4, 64.5, 31.9, 29.8, 24.5, 21.2, 20.8; HRMS (TOF ES$^+$): m/z calcd for $C_{31}H_{29}NO_4$ [(M+H)$^+$], 480.2169, found, 480.2186.

3-(3,5-Dibenzoyl-1-(4-chlorophenyl)-1,4-dihydropyridin-4-yl)propyl acetate (4p)



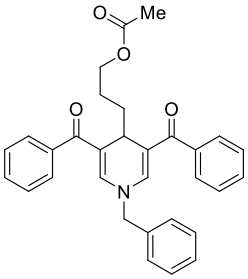
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 64 mg (64%); mp = 135–137 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.69 (d, J = 7.5 Hz, 4H, ArH), 7.59–7.56 (m, 2H, ArH), 7.51–7.49 (m, 4H, ArH), 7.44–7.44 (m, 2H, ArH), 7.37–7.36 (m, 2H, ArH), 7.25 (s, 2H, C=CH), 4.55–4.30 (m, 1H, C–CH), 3.99–3.97 (m, 2H, C-CH_2), 1.92 (s, 3H, C-CH_3), 1.68–1.60 (m, 2H, C-CH_2), 1.57–1.53 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 142.1, 141.4, 141.4, 139.1, 139.1, 131.9, 131.9, 131.3, 130.2, 130.2, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 123.5, 123.5, 118.8, 118.8, 64.4, 31.9, 29.9, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{26}ClNO_4$ [(M+H)$^+$], 500.1623, found, 500.1630.

3-(3,5-Dibenzoyl-1-(4-bromophenyl)-1,4-dihydropyridin-4-yl)propyl acetate (4q)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 50 mg (46%); mp = 133–135 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ =7.69 (d, J = 7.4 Hz, 4H, ArH), 7.60–7.57 (m, 4H, ArH), 7.52–7.50 (m, 4H, ArH), 7.32 (d, J = 8.4 Hz, 2H, ArH), 7.26 (s, 2H, C=CH), 4.45–4.43 (m, 1H, C–CH), 4.00–3.97 (m, 2H, C-CH_2), 1.93 (s, 3H, C-CH_3), 1.72–1.59 (m, 2H, C-CH_2), 1.56–1.54 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 142.5, 141.3, 141.3, 139.1, 139.1, 133.2, 133.2, 131.9, 131.9, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 123.8, 123.8, 119.5, 118.9, 118.9, 64.4, 31.8, 29.9, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{26}BrNO_4$ [(M+H)$^+$], 544.1118, found, 544.1112.

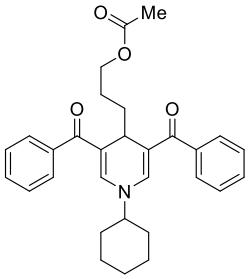
3-(3,5-Dibenzoyl-1-benzyl-1,4-dihydropyridin-4-yl)propyl acetate (4r)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 6:1, R_f = 0.5; Yellow solid: 44 mg (46%); mp = 119–121 °C; ^{1}H NMR (600

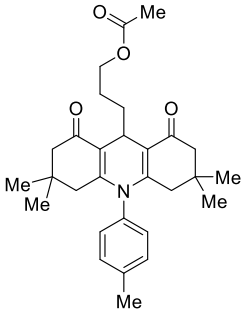
MHz, DMSO-d_6) δ = 7.56–7.54 (m, 2H, ArH), 7.50–7.46 (m, 8H, ArH), 7.41–7.43 (m, 2H, ArH), 7.35–7.32 (m, 1H, ArH), 7.23 (d, J = 7.5 Hz, 2H, ArH), 7.13 (s, 2H, C=CH), 4.73 (s, 2H, C-CH_2), 4.33 (t, J = 5.2 Hz, 1H, C-CH), 3.91 (t, J = 6.5 Hz, 2H, C-CH_2), 1.93 (s, 3H, C-CH_3), 1.49–1.45 (m, 2H, CH_2), 1.41–1.38 (m, 2H, CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.3, 194.3, 170.8, 144.4, 144.4, 139.6, 139.6, 137.6, 131.5, 131.5, 129.3, 129.3, 128.8, 128.8, 128.8, 128.8, 128.7, 128.7, 128.7, 128.7, 128.4, 127.9, 127.9, 116.7, 116.7, 64.5, 57.0, 31.8, 29.4, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{31}H_{30}NO_4$ [(M+H)$^+$], 480.2179, found, 480.2171.

3-(3,5-Dibenzoyl-1-cyclohexyl-1,4-dihydropyridin-4-yl)propyl acetate (4s)



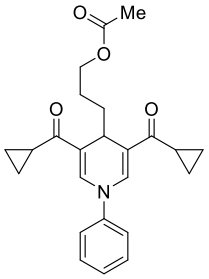
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow liquid: 52 mg (55%);^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.57–7.56 (m, 6H, ArH), 7.51–7.50 (m, 4H, ArH), 7.06 (s, 2H, C=CH), 4.36 (t, J = 5.2 Hz, 1H, C–CH), 3.96 (t, J = 6.6 Hz, 2H, C-CH_2), 3.48–3.46 (m, 1H, C–CH), 1.93 (s, 3H, C-CH_3), 1.78–1.74 (m, 2H, C-CH_2), 1.69–1.65 (m, 2H, C-CH_2), 1.59–1.46 (m, 4H, C-CH_2), 1.44–1.40 (m, 2H, C-CH_2), 1.26–1.20 (m, 4H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.4, 194.4, 170.9, 142.2, 142.2, 139.7, 139.7, 131.4, 131.4, 128.9, 128.9, 128.9, 128.9, 128.7, 128.7, 128.7, 128.7, 116.6, 116.6, 64.5, 62.3, 32.1, 32.1, 31.8, 29.9, 25.2, 25.2, 24.8, 24.3, 21.2, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{33}NO_4$ [(M+H)$^+$], 472.2482, found, 472.2487.

3-(3,3,6,6-tetramethyl-1,8-dioxo-10-(*p*-tolyl)-1,2,3,4,5,6,7,8,9,10-decahydroacridin-9-yl)propyl acetate (4t)



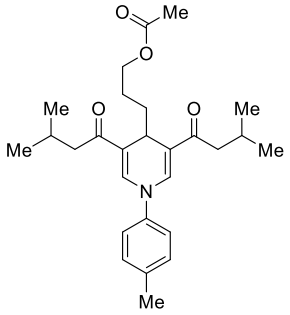
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 3:1, R_f = 0.5; White solid: 57 mg (62%); mp = 159–160 ^{o}C; ^{11}H NMR (600 MHz, DMSO-d_6) δ = 7.39–7.04 (m, 4H, ArH), 3.97 (m, 3H, C-CH_2 +C-CH), 2.40 (s, 3H, ArCH_3), 2.17 (t, J = 16.4 Hz, 4H, CH_2), 2.08 (d, J = 16.0 Hz, 2H, C-CH_2), 1.96 (s, 3H, C-CH_3), 1.69 (d, J = 17.5 Hz, 2H, CH_2), 1.53–1.45 (m, 2H, CH_2), 1.35–1.27 (m, 2H, C-CH_2), 0.88 (s, 6H, C-CH_3), 0.86 (s, 6H, C-CH_3); ^{13}C NMR (150 MHz, DMSO-d_6) δ =195.9, 195.9, 170.8, 152.1, 152.1, 139.3, 136.3, 112.4, 112.4, 64.6, 64.6, 50.2, 50.2, 41.4, 41.4, 32.2, 32.2, 32.2, 31.9, 30.0, 30.0, 26.5, 26.5, 25.1, 24.9, 21.2, 21.2, 21.2, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{29}H_{37}NO_4$ [(M+H)$^+$], 464.2795, found, 464.2801.

3-(3,5-Di(cyclopropanecarbonyl)-1-phenyl-1,4-dihydropyridin-4-yl)propyl acetate (4u)



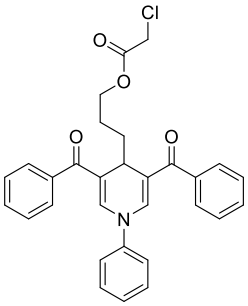
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f = 0.5; Yellow solid: 40 mg (51%); mp = 110-112 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 8.08 (s, 2H, C=CH), 7.61 (d, J = 7.9 Hz, 2H, ArH), 7.52 (t, J = 7.7 Hz, 2H, ArH), 7.35 (t, J = 7.4 Hz, 1H, ArH), 4.06 (t, J = 5.2 Hz, 1H, C–CH), 3.88 (t, J = 6.6 Hz, 2H, C-CH_2), 2.75–2.73 (m, 2H, C-CH_2), 1.94 (s, 3H, C-CH_3), 1.41–1.35 (m, 2H, C-CH_2), 1.27–1.20 (m, 2H, C-CH_2), 0.97–0.72 (m, 8H, CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 197.4, 197.4, 170.8, 143.2, 138.7, 138.7, 130.1, 130.1, 126.7, 121.9, 121.9, 120.0, 120.0, 64.5, 31.9, 28.3, 24.2, 21.2, 15.6, 15.6, 10.3, 10.3, 10.3, 10.3.; HRMS (TOF ES$^+$): m/z calcd for $C_{24}H_{27}NO_4$ [(M+H)$^+$], 394.2013, found, 394.2019.

3-(3,5-Bis(3-methylbutanoyl)-1-(*p*-tolyl)-1,4-dihydropyridin-4-yl)propyl acetate (4v)



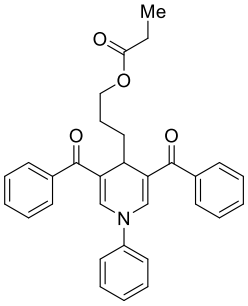
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f = 0.5; Yellow solid: 45 mg (53%); mp = 115-117 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.78 (s, 2H, C=CH), 7.42 (d, J = 8.0 Hz, 2H, ArH), 7.32 (d, J = 8.0 Hz, 2H, ArH), 4.07 (t, J = 5.0 Hz, 1H, C–CH), 3.88 (t, J = 6.6 Hz, 2H, C–CH_2), 2.68–2.64 (m, 2H, C-CH_2), 2.48–2.47 (m, 1H, ArH), 2.35 (s, 3H, ArCH_3), 2.07–2.03 (m, 2H, C-CH_2), 1.92 (s, 3H, C-CH_3), 1.38–1.35 (m, 2H, C-CH_2), 1.24–1.21 (m, 2H, C-CH_2), 0.88–0.86 (m, 12H, C-CH_3); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 198.1, 198.1, 170.8, 140.9, 139.2, 139.2, 136.2, 130.5, 130.5, 130.5, 121.9, 121.9, 121.9, 119.2, 119.2, 64.4, 45.4, 45.4, 31.5, 27.8, 25.9, 25.9, 24.1, 22.9, 22.9, 22.9, 22.9, 21.1, 20.9; HRMS (TOF ES$^+$): m/z calcd for $C_{26}H_{35}NO_4$ [(M+H)$^+$], 440.2795, found, 440.2804.

3-(3,5-Dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)propyl 2-chloroacetate (4w)



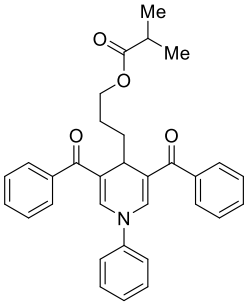
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 51 mg (51%); mp = 119–121 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.69–7.67 (m, 4H, ArH), 7.61–7.54 (m, 2H, ArH), 7.52–7.49 (m, 4H, ArH), 7.42–7.36 (m, 3H, ArH), 7.33–7.29 (m, 2H, ArH), 7.25 (s, 2H, C=CH), 4.46–4.42 (m, 1H, C–CH), 4.33–4.29 (m, 2H, C-CH_2), 4.14–4.09 (m, 2H, C-CH_2), 1.69–1.64 (m, 2H, C-CH_2), 1.59–1.55 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 167.9, 143.2, 141.8, 141.8, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 118.7, 118.7, 66.2, 41.6, 31.9, 29.8, 24.4; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{26}ClNO_4$ [(M+H)$^+$], 500.1623, found, 500.1626.

3-(3,5-dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)propyl propionate (4x)



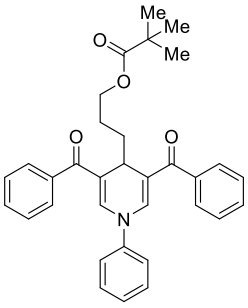
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 58 mg (61%); mp = 130–132 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.68 (d, J = 7.5 Hz, 4H, ArH), 7.58–7.56 (m, 2H, ArH), 7.52–7.49 (m, 4H, ArH), 7.40 (t, J = 7.7 Hz, 2H, ArH), 7.31 (d, J = 7.9 Hz, 2H, ArH), 7.28–7.26 (m, 2H, ArH+C=CH), 4.45 (t, J = 5.1 Hz, 1H, C–CH), 4.01 (t, J = 6.4 Hz, 2H, C-CH_2), 2.22–2.20 (m, 2H, C-CH_2), 1.67–1.63 (m, 2H, C-CH_2), 1.58–1.54 (m, 2H, C-CH_2), 0.94 (t, J = 7.5 Hz, 3H, C-CH_3); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 174.1, 143.2, 141.7, 141.7, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 118.7, 118.7, 64.3, 31.7, 29.9, 27.3, 24.6, 9.5; HRMS (TOF ES$^+$): m/z calcd for $C_{31}H_{29}NO_4$ [(M+H)$^+$], 480.2169, found, 480.2173.

3-(3,5-Dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)propyl isobutyrate (4y)



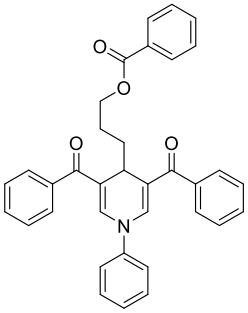
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 59 mg (60%); mp = 148–150 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.67 (d, J = 7.4 Hz, 4H, ArH), 7.58–7.56 (m, 2H, ArH), 7.51–7.49 (m, 4H, ArH), 7.42–7.39 (m, 2H, ArH), 7.31–7.30 (m, 2H, ArH), 7.28–7.25 (m, 3H, ArH+C=CH), 4.45 (t, J = 5.2 Hz, 1H, C-CH), 4.02 (t, J = 6.2 Hz, 2H, C-CH_2), 2.43–2.42 (m, 1H, C-CH), 1.67–1.63 (m, 2H, C-CH_2), 1.60–1.50 (m, 2H, C-CH_2), 0.98 (d, J = 7.0 Hz, C-CH_3); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 176.6, 143.2, 141.8, 141.8, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 118.6, 118.6, 64.2, 33.6, 31.6, 29.9, 24.5, 19.2, 19.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{26}ClNO_4$ [(M+H)$^+$], 494.2326, found, 494.2332.

3-(3,5-Dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)propyl pivalate (4z)



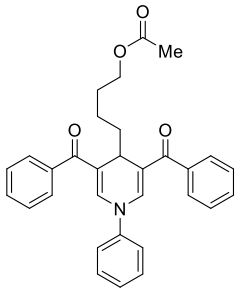
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 4:1, R_f = 0.5; Yellow solid: 43 mg (41%); mp = 174-176 °C ^{1}H NMR (600 MHz, $CDCl_3$) δ = 7.65–7.64 (m, 4H, ArH), 7.51–7.50 (m, 2H, ArH), 7.47–7.45 (m, 4H, ArH), 7.38–7.36 (m, 2H, ArH), 7.27–7.22 (m, 2H, ArH+C=CH), 7.08–7.07 (m, 2H, ArH), 4.48–4.46 (m, 1H, C-CH), 4.08–4.06 (m, 2H, C-CH_2), 1.75–1.73 (m, 4H, C-CH_2), 1.12 (s, 9H, C-CH_3); ^{13}C NMR (150 MHz, $CDCl_3$) δ = 195.0, 195.0, 178.7, 143.0, 141.0, 141.0, 139.2, 139.2, 131.2, 131.2, 130.1, 130.1, 128.5, 128.5, 128.5, 128.5, 128.5, 128.5, 128.5, 126.8, 121.0, 121.0, 119.8, 119.8, 64.4, 38.7, 31.7, 30.4, 27.2, 27.2, 27.2, 24.8; HRMS (TOF ES$^+$): m/z calcd for $C_{34}H_{35}NO_4$ [(M+Na)$^+$], 530.2307, found, 530.2312.

4-(3,5-Dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)butan-2-yl acetate (4a')



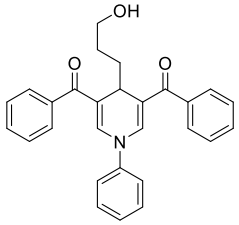
$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.5; Yellow solid: 60 mg (57%); mp = 136–138 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ = 7.69 (d, J = 7.6 Hz, 4H, ArH), 7.58 (t, J = 7.4 Hz, 2H, ArH), 7.52 (t, J = 7.5 Hz, 4H, ArH), 7.41 (t, J = 7.7 Hz, 2H, ArH), 7.33 (d, J = 7.9 Hz, 2H, CH=CH_2), 7.28 (m, 3H, ArH), , 4.46 (t, J = 5.2 Hz, 1H, C-CH), 4.00 (t, J = 6.5 Hz, 2H, CH_2), 1.94 (s, 3H, CH_3), 1.69–1.62 (m, 2H, CH_2), 1.58–1.55 (m, 2H, CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ = 194.8, 194.8, 170.9, 143.2, 141.7, 141.7, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 118.7, 118.7, 64.5, 31.9, 29.9, 24.5, 21.2; HRMS (TOF ES$^+$): m/z calcd for $C_{30}H_{27}NO_4$ [(M+H)$^+$], 528.2196, found, 528.2181.

4-(3,5-Dibenzoyl-1-phenyl-1,4-dihydropyridin-4-yl)butyl acetate (4b')



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 6:1, R_f = 0.5; Yellow solid: 55 mg (57%); mp = 121-123 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ =7.72–7.65 (m, 4H, ArH), 7.58 (t, J = 6.9 Hz, 2H, ArH), 7.51 (m, J = 9.8, 5.2 Hz, 4H, ArH), 7.45–7.37 (m, 2H, ArH), 7.33 (s, 2H, C=CH), 7.29–7.24 (m, 2H, ArH), 4.42 (t, J = 5.4 Hz, 1H, C–CH), 3.96 (t, 2H, C-CH_2), 1.90 (s, 3H, C-CH_3), 1.58-1.52 (m, 4H, C-CH_2), 1.40–1.38 (m, 2H, C-CH_2); ^{13}C NMR (150 MHz, DMSO-d_6) δ =194.8, 194.8, 170.8, 143.3, 141.5, 141.5, 139.2, 139.2, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.2, 121.6, 121.6, 119.0, 119.0, 64.1, 35.4, 30.1, 28.5, 21.4, 21.1; HRMS (TOF ES$^+$): m/z calcd for $C_{31}H_{29}NO_4$ [(M+H)$^+$], 480.2169, found, 480.2180.

(4-(3-Hydroxypropyl)-1-phenyl-1,4-dihydropyridine-3,5-diyl)bis(phenylmethanone) (5)



$V_{Petroleum\ ether}/V_{Ethyl\ acetate}$ = 5:1, R_f = 0.2; Yellow solid: 35 mg (42%); mp = 160–162 °C; ^{1}H NMR (600 MHz, $CDCl_3$): δ = 7.67–7.65 (m, 4H, ArH), 7.53–7.50 (m, 2H, ArH), 7.46–7.44 (m, 4H, ArH), 7.38–7.36 (m, 2H, ArH), 7.25 (s, 2H, C=CH), 7.08–7.07 (m, 2H, ArH), 4.66 (t, J = 5.3 Hz, 1H, C–CH), 3.72 (t, J = 6.4 Hz, 2H, OCH_2), 1.76–1.72 (m, 2H, C–CH_2), 1.68–1.63 (m, 2H, C–CH_2); ^{13}C NMR (150 MHz, DMSO-d_6): δ = 194.9, 194.9, 143.3, 141.4, 141.4, 139.3, 139.3, 131.8, 131.8, 130.5, 130.5, 129.0, 129.0, 129.0, 129.0, 128.9, 128.9, 128.9, 128.9, 127.1, 121.5, 121.5, 119.2, 119.2, 61.5, 32.3, 30.2, 28.8; HRMS (TOF ES+): m/z calcd for $C_{28}H_{25}NO_3$ [(M+H)$^+$], 424.1907, found, 424.1906.

4. ^{1}H NMR and ^{13}C NMR spectra for spectroscopic data.

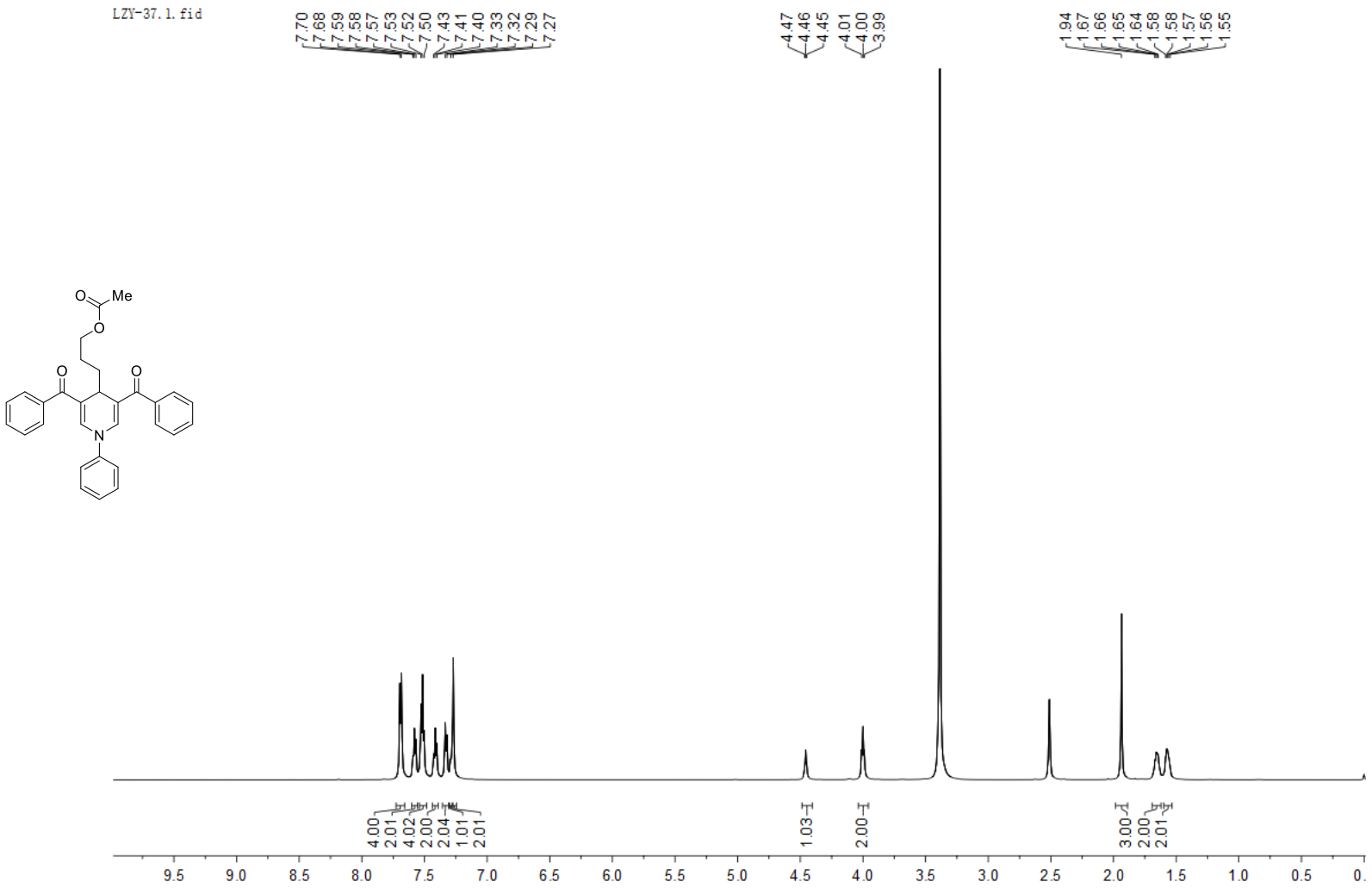


Figure S1. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4a**

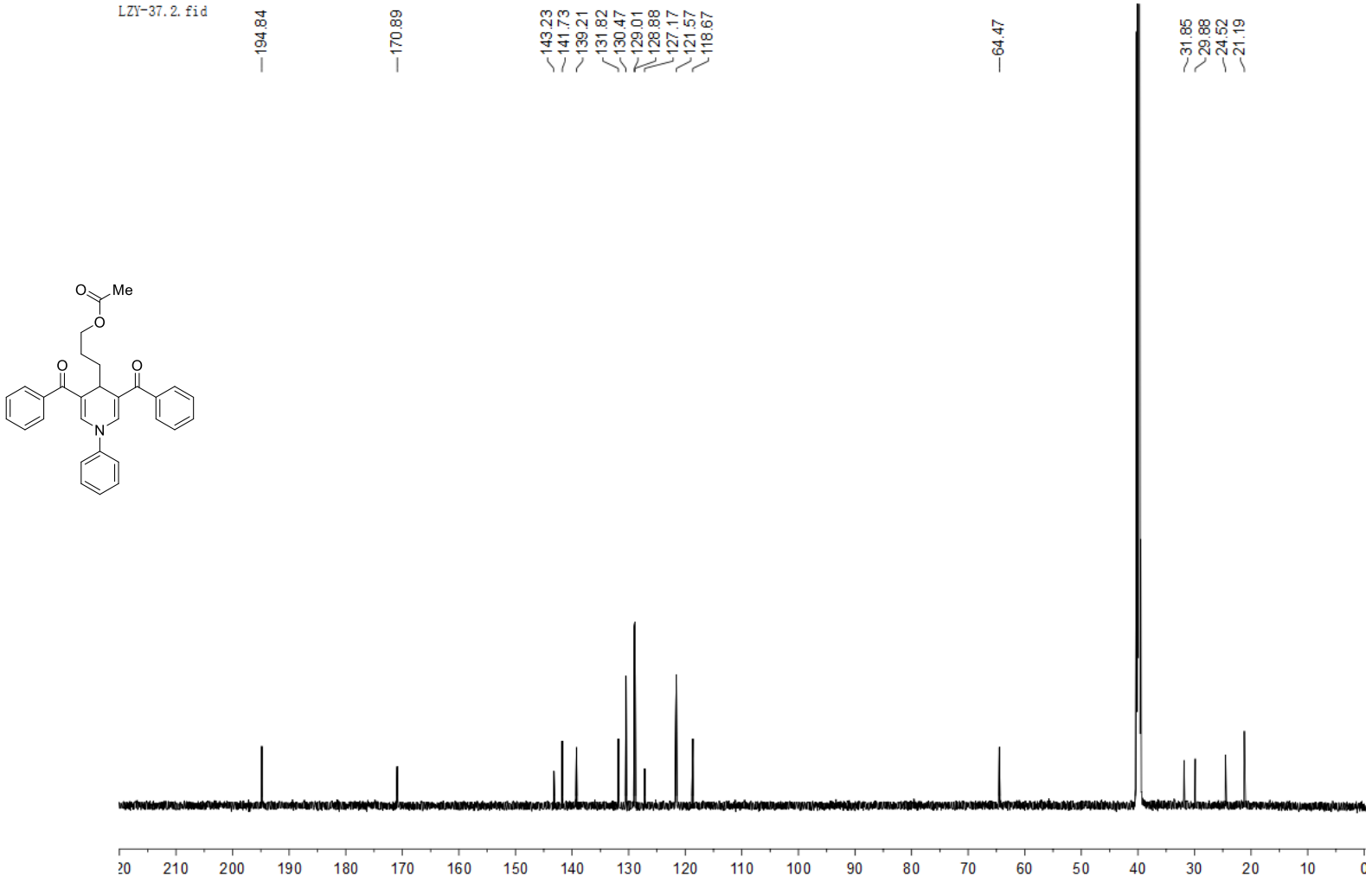


Figure S2. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4a**

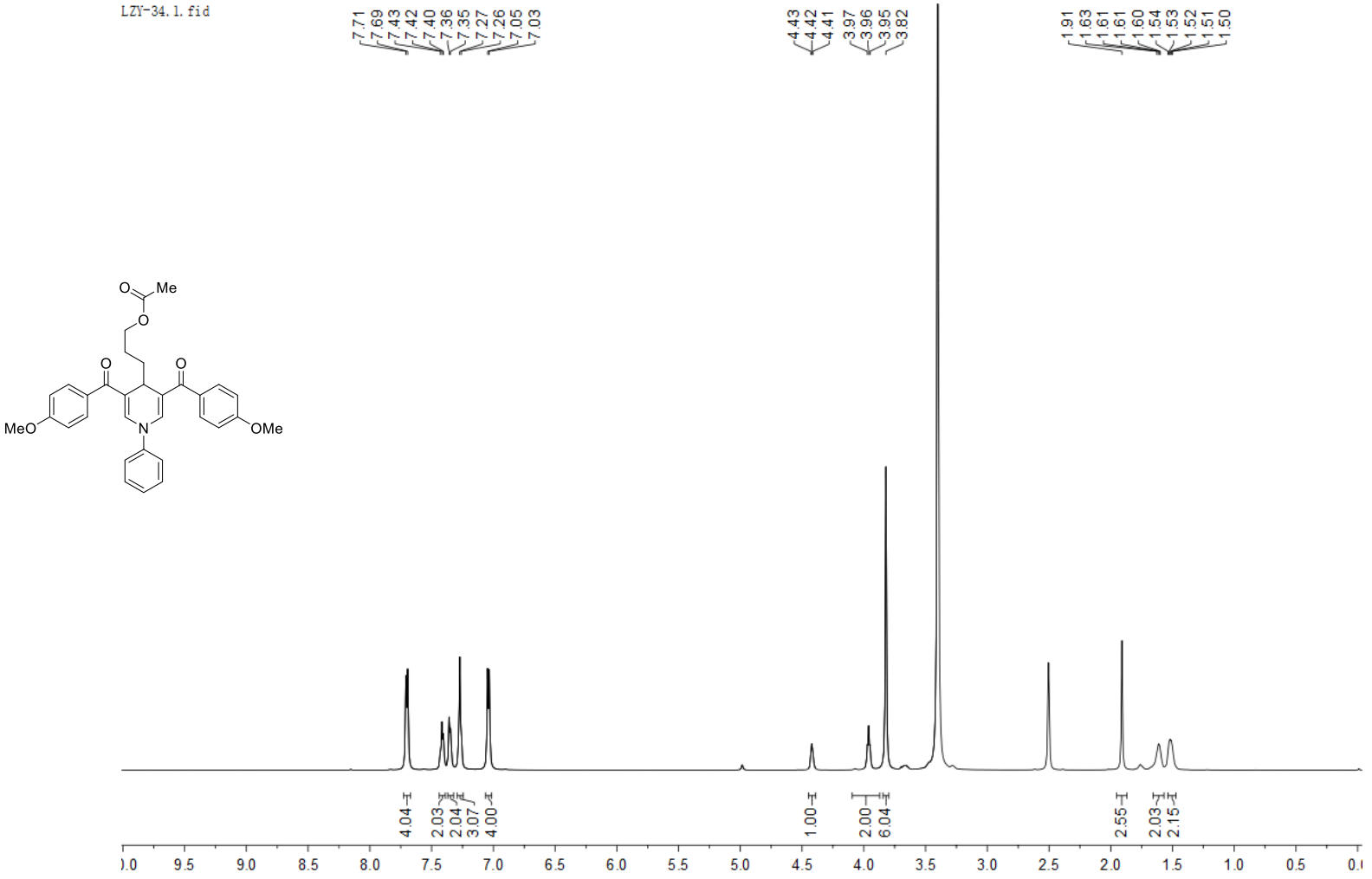


Figure S3. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4b**

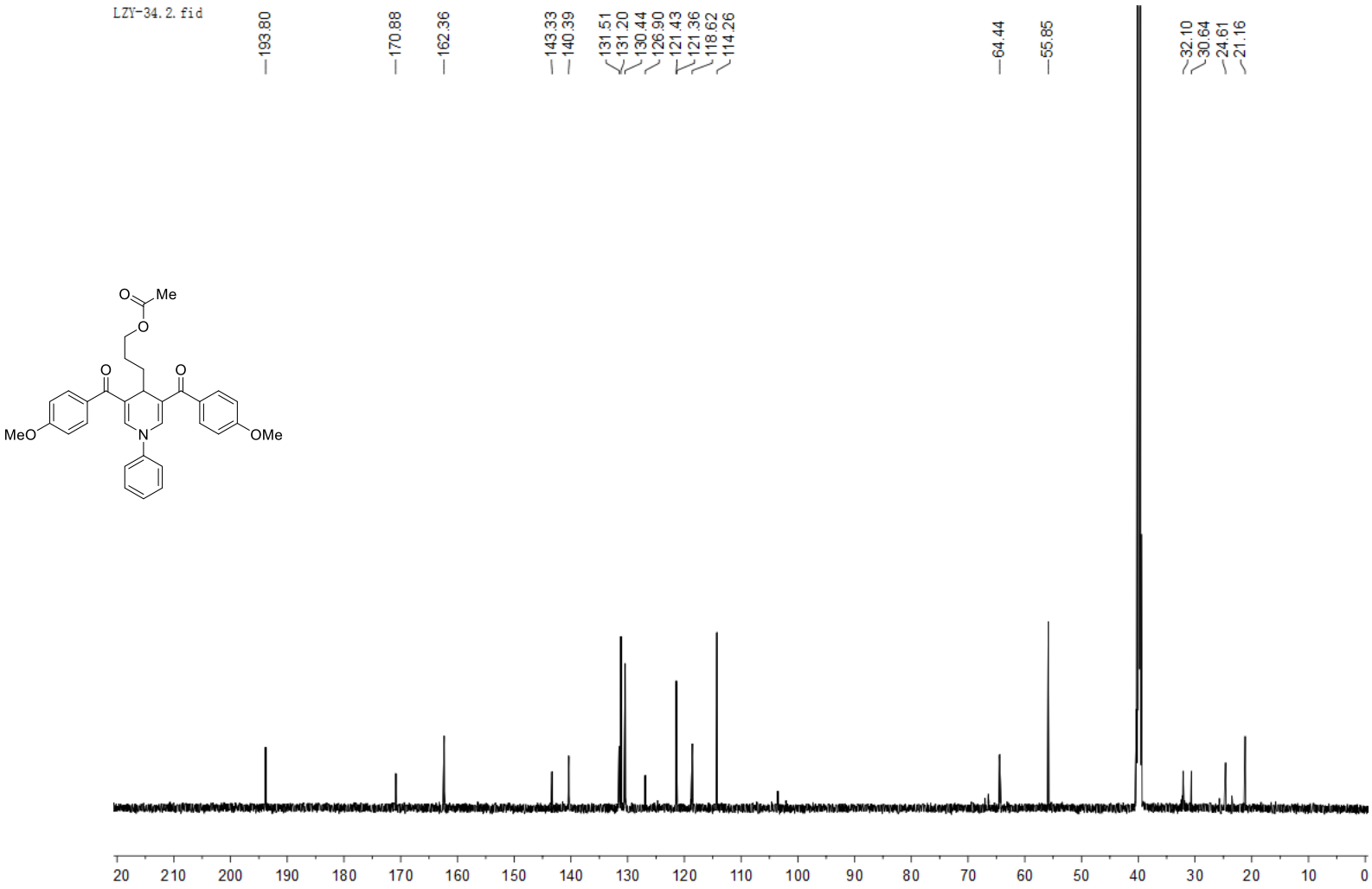


Figure S4. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4b**

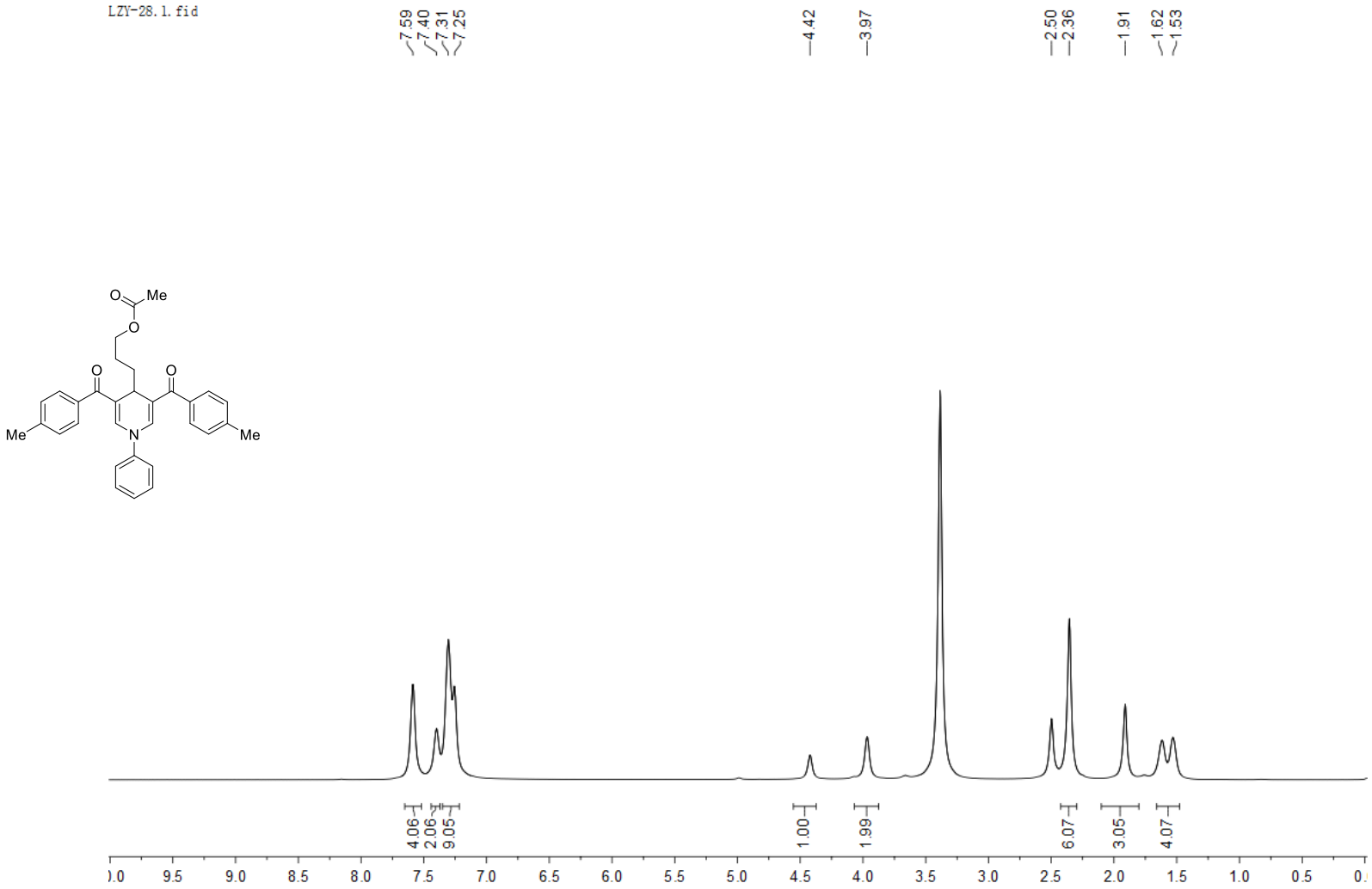


Figure S5. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4c**

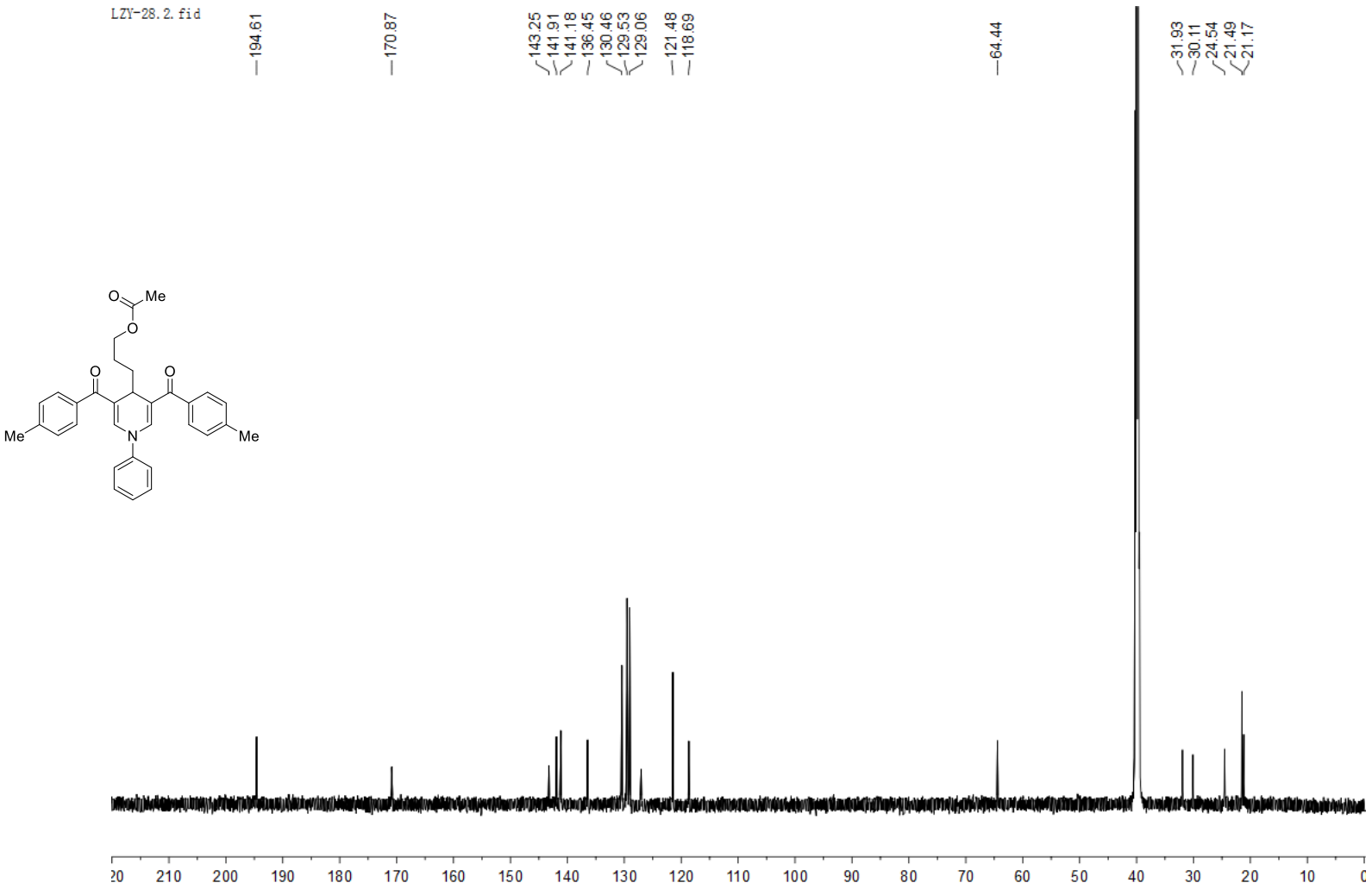


Figure S6. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4c**

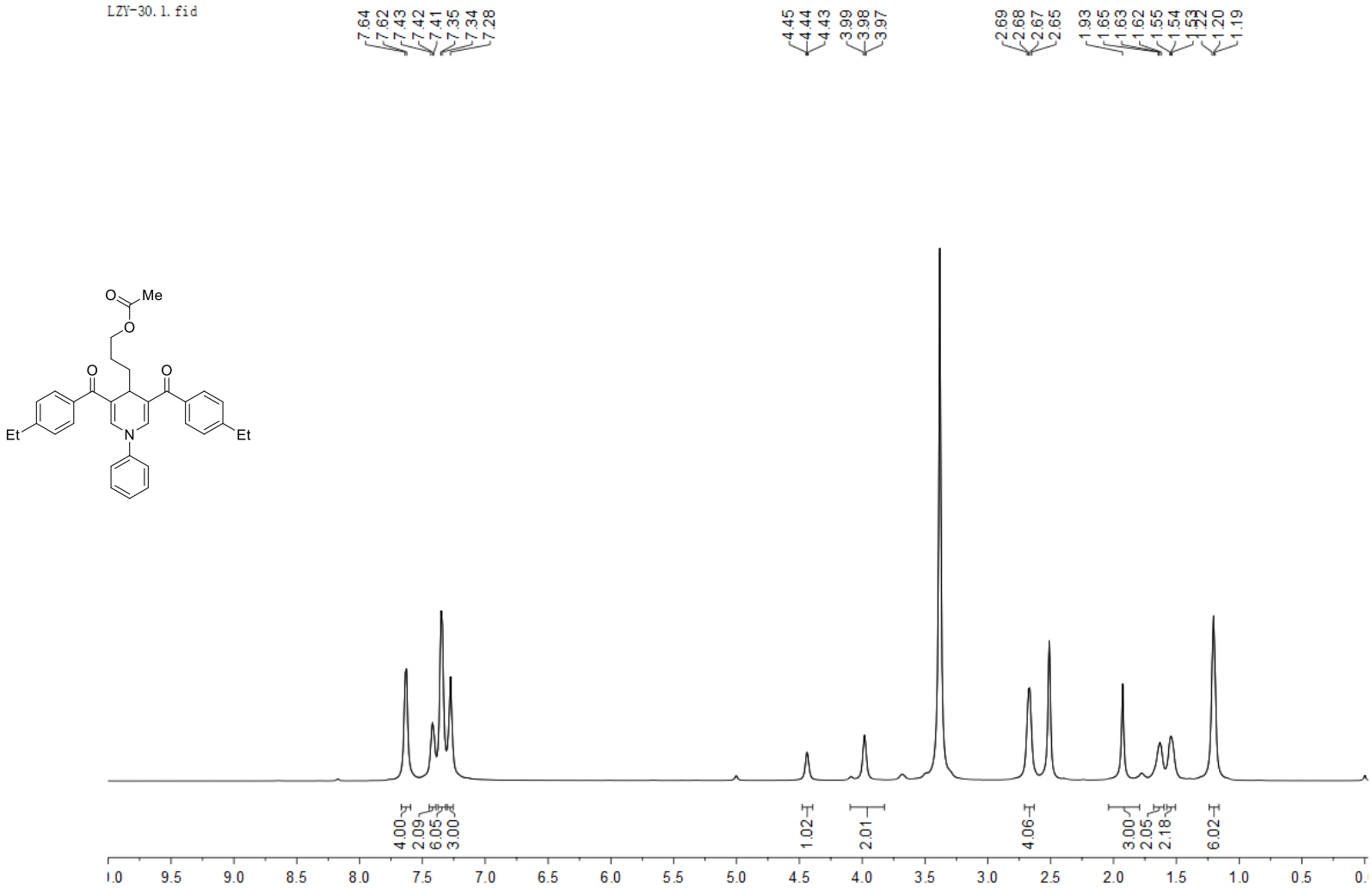


Figure S7. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4d**

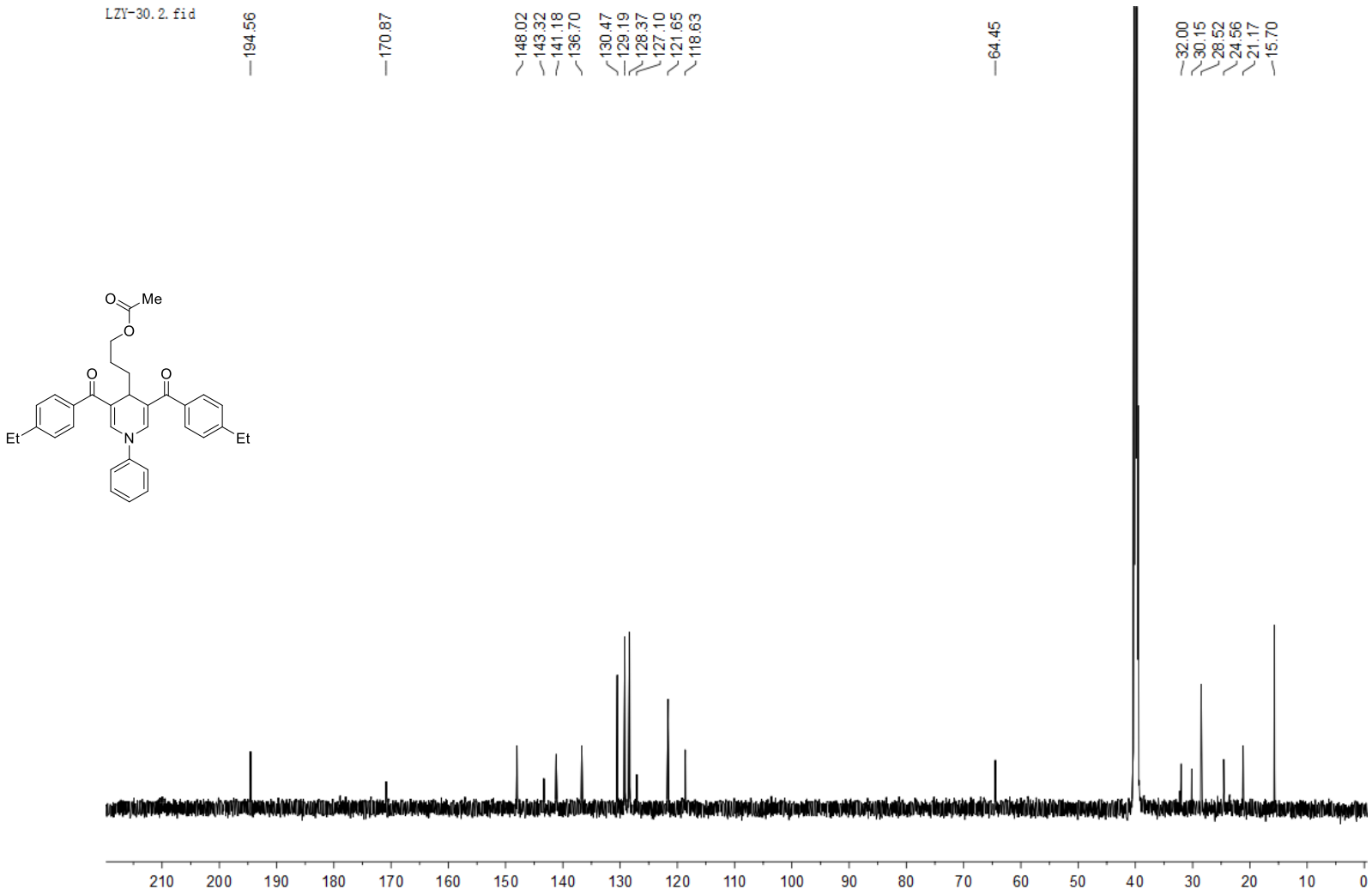


Figure S8. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4d**

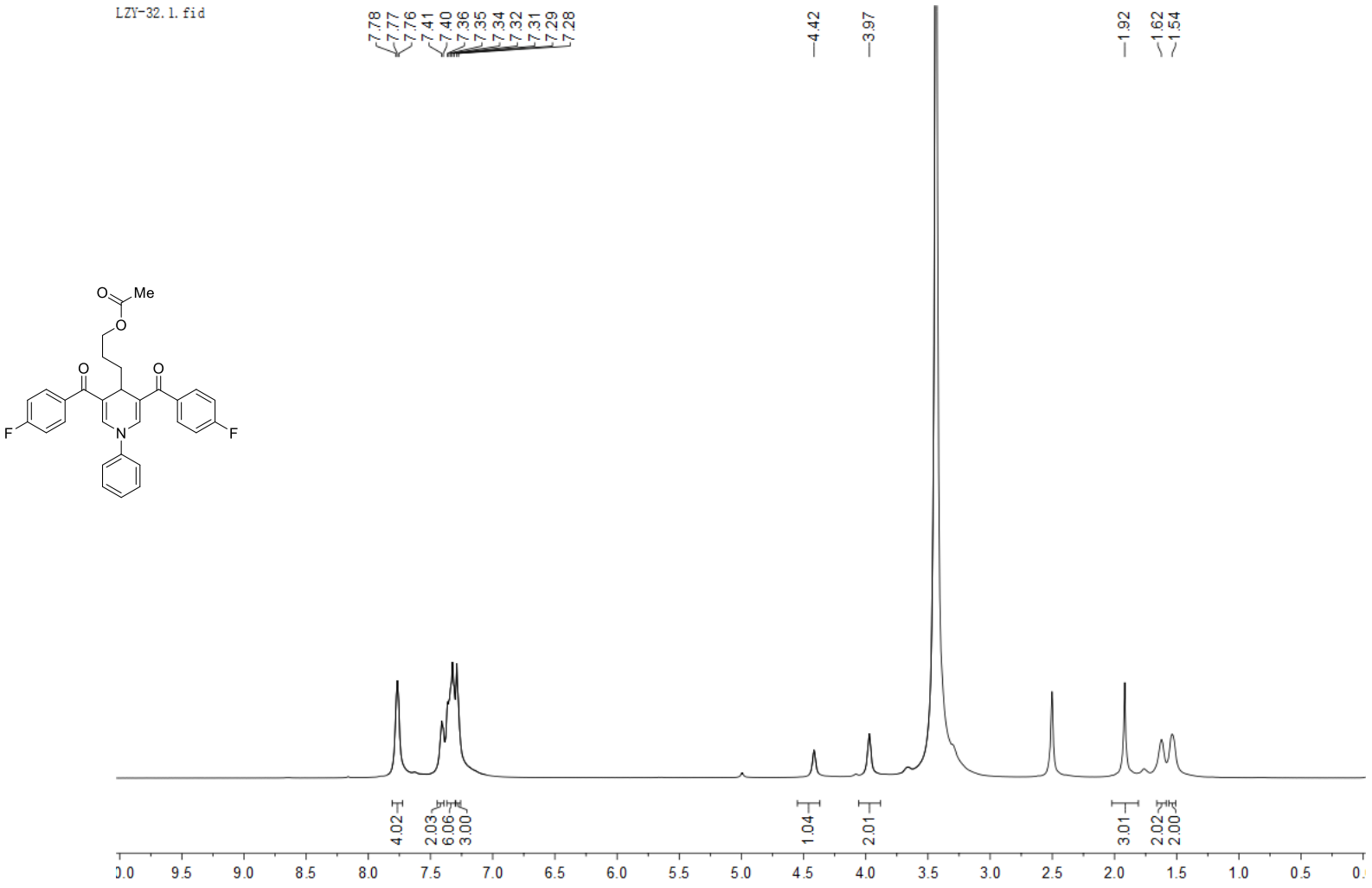


Figure S9. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4e**

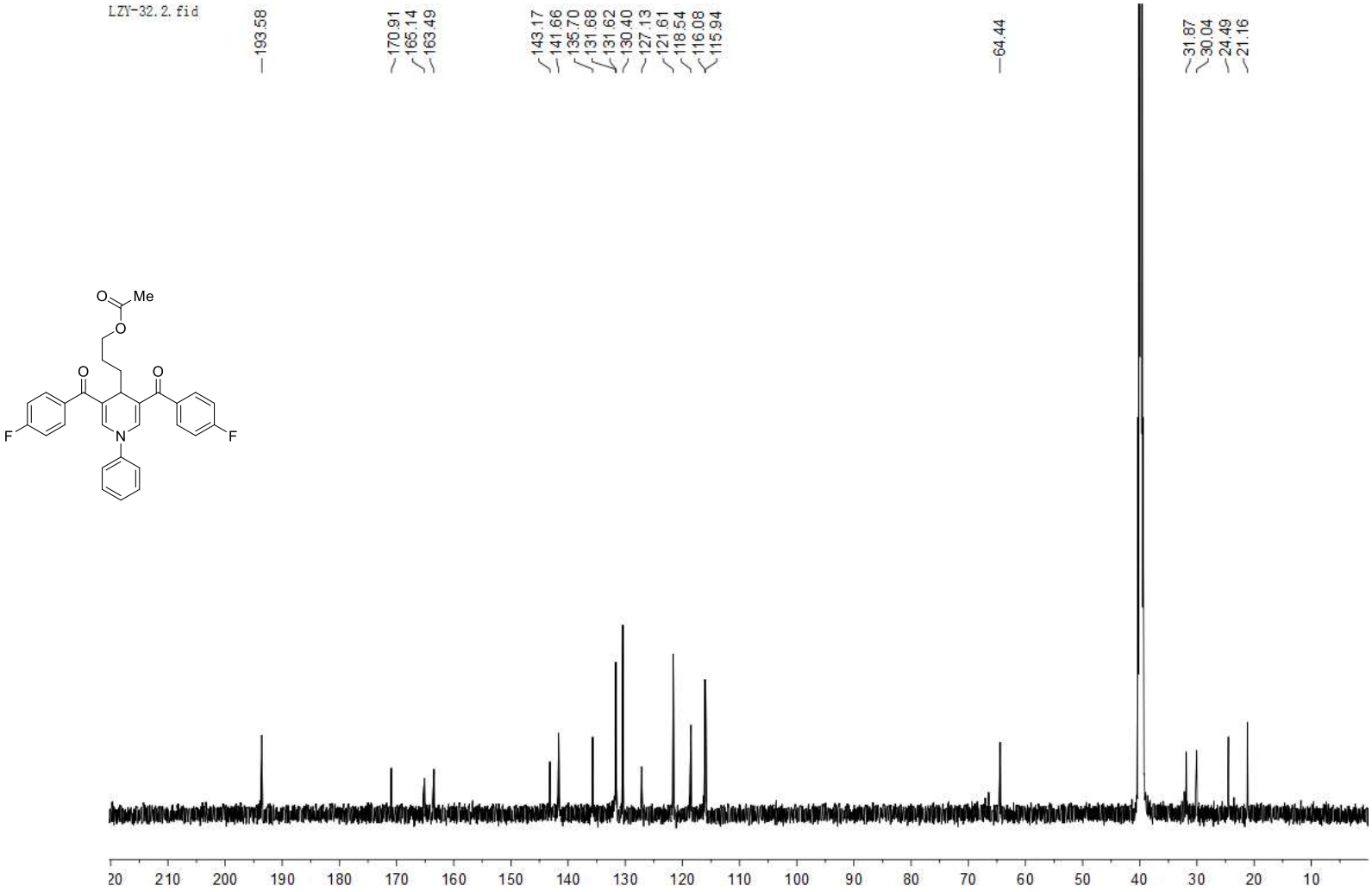


Figure S10. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4e**

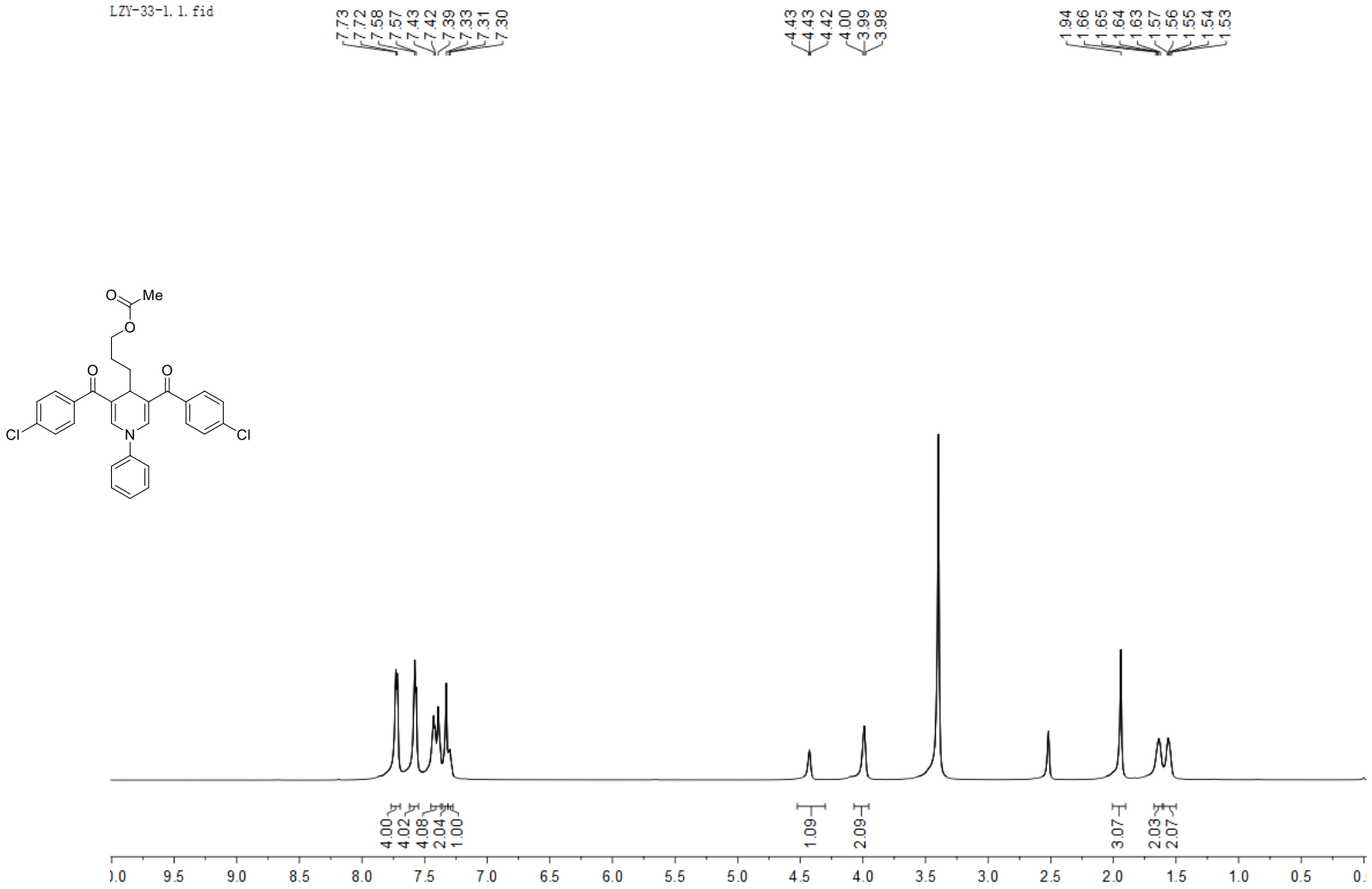


Figure S11. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4f**

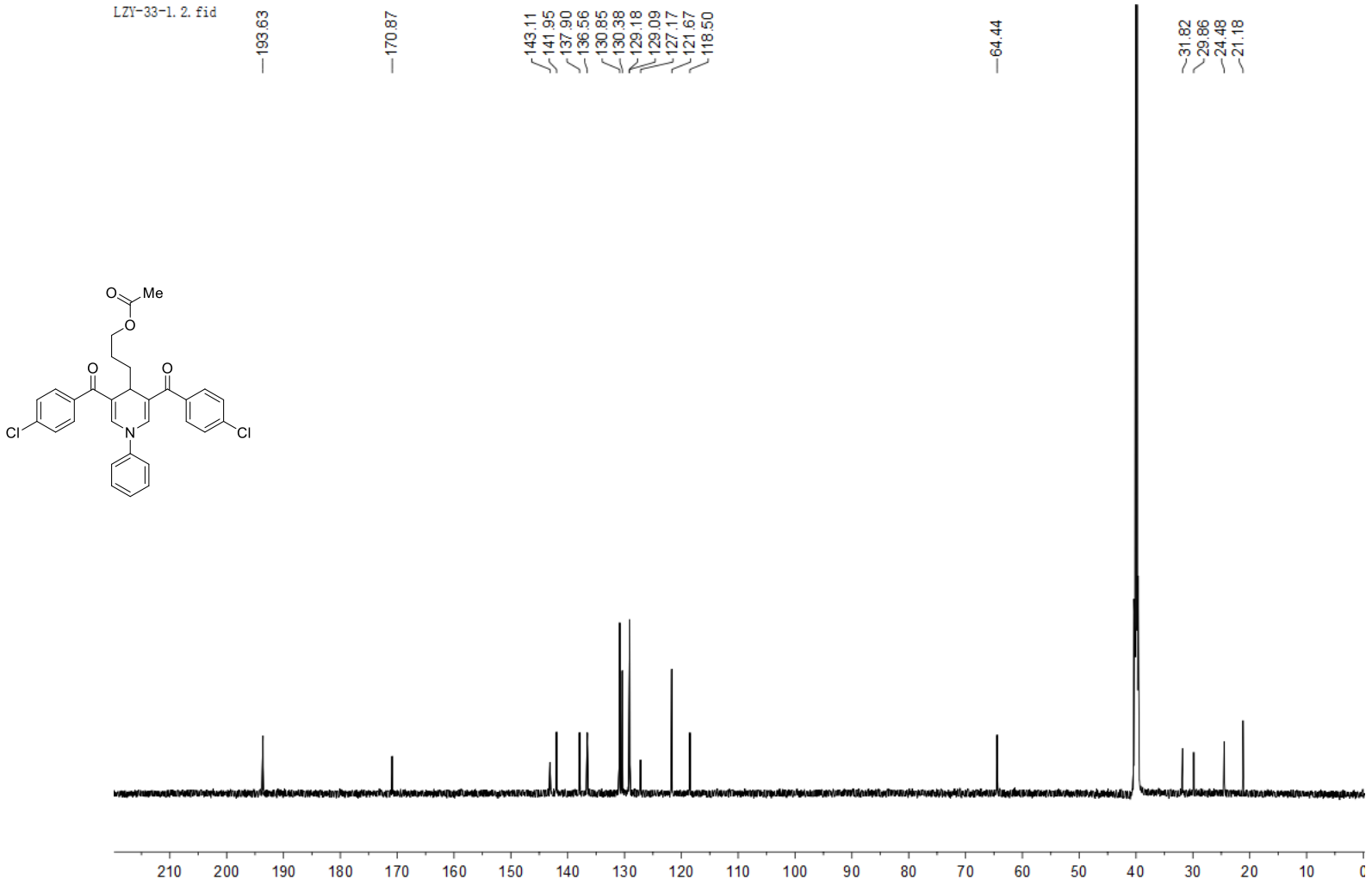


Figure S12. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4f**

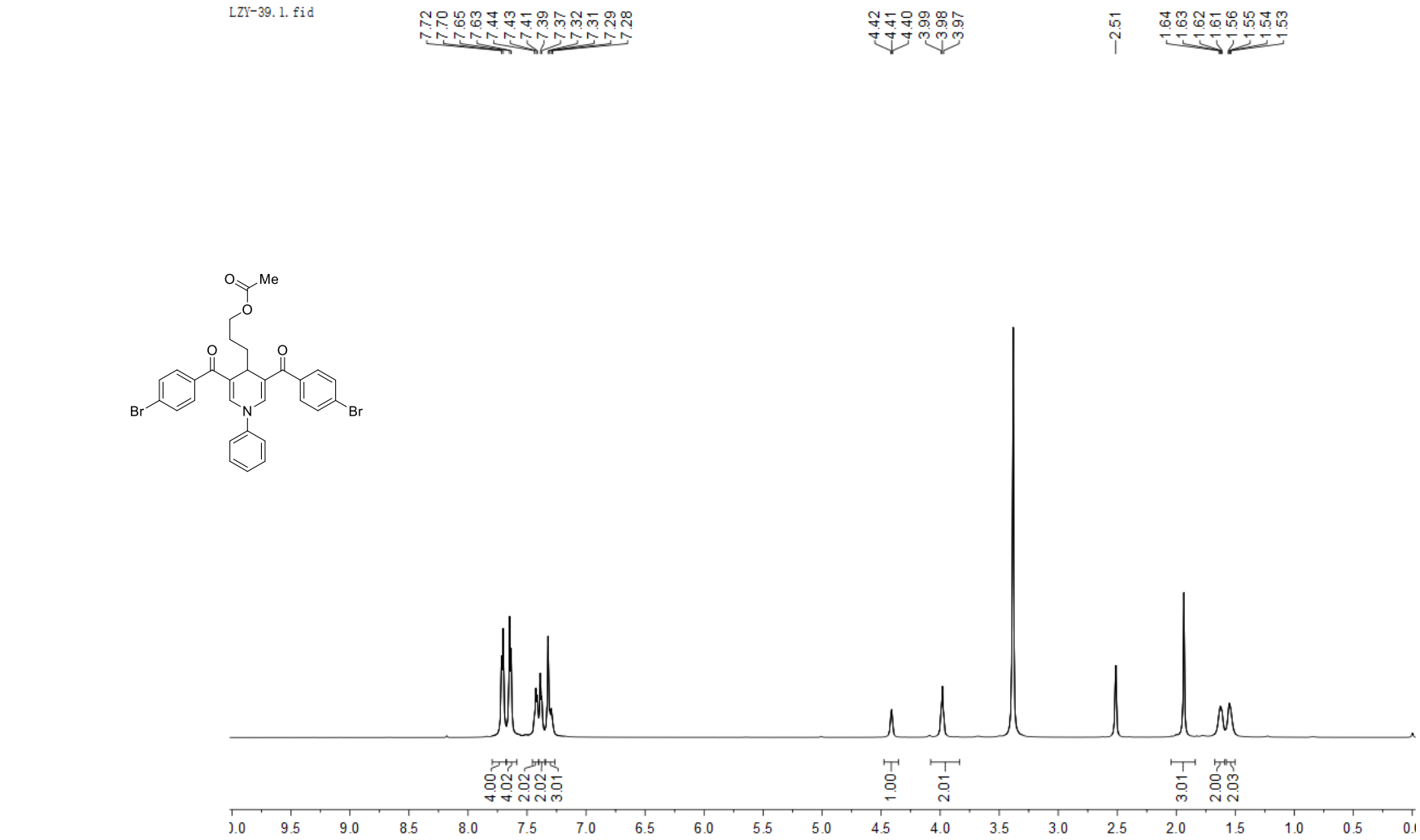


Figure S13. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4g**

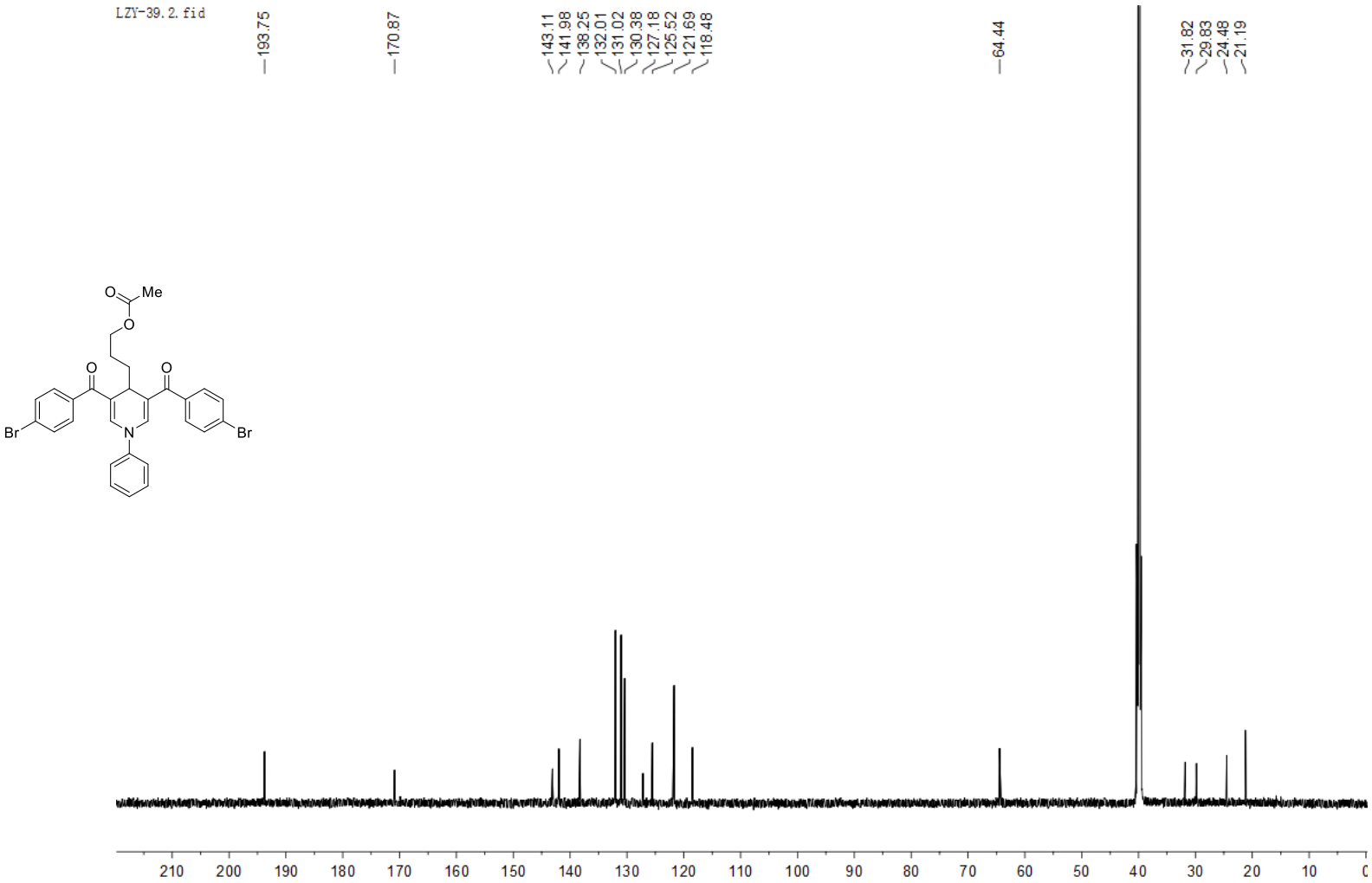


Figure S14. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4g**

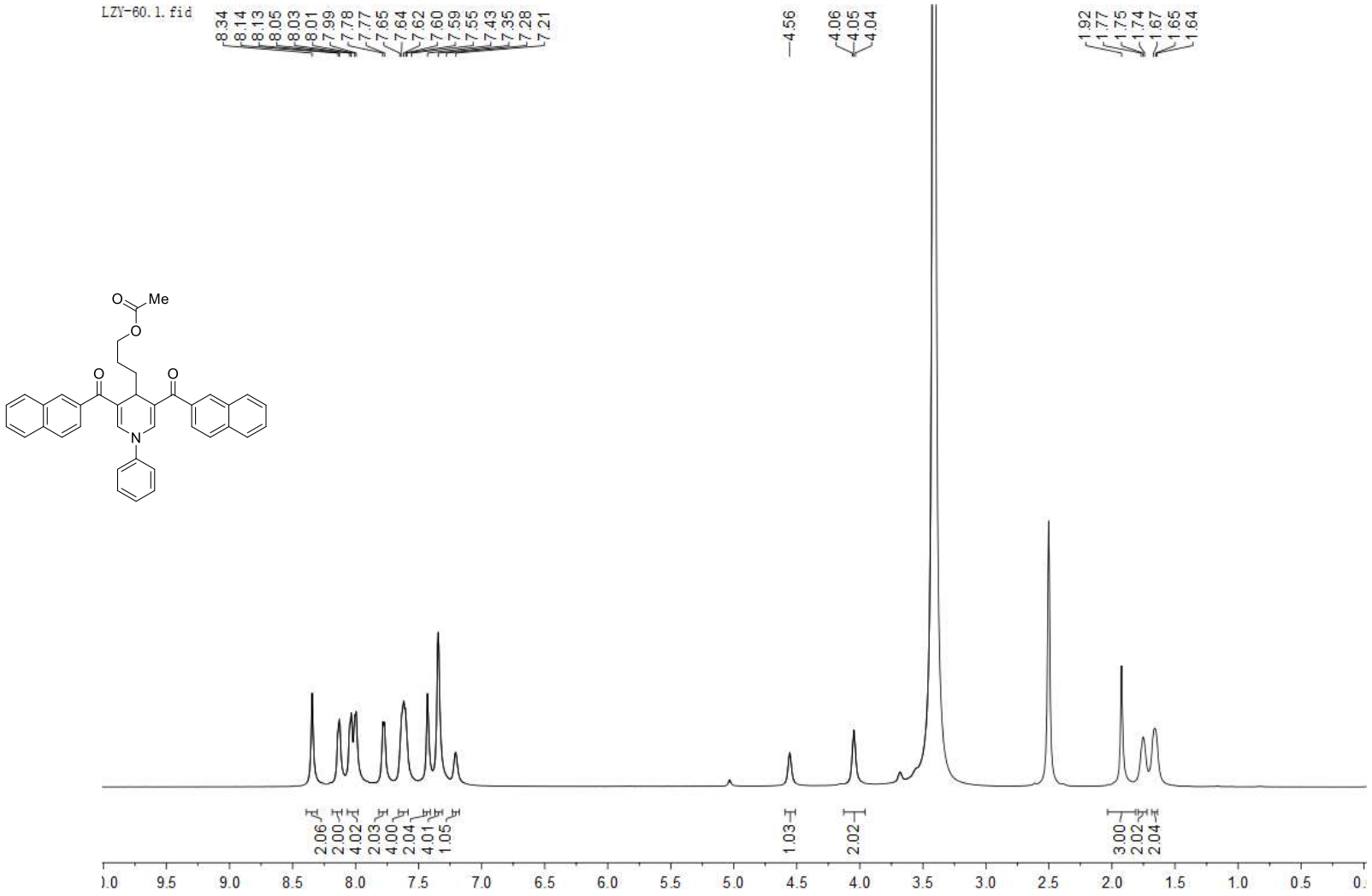


Figure S15. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4h**

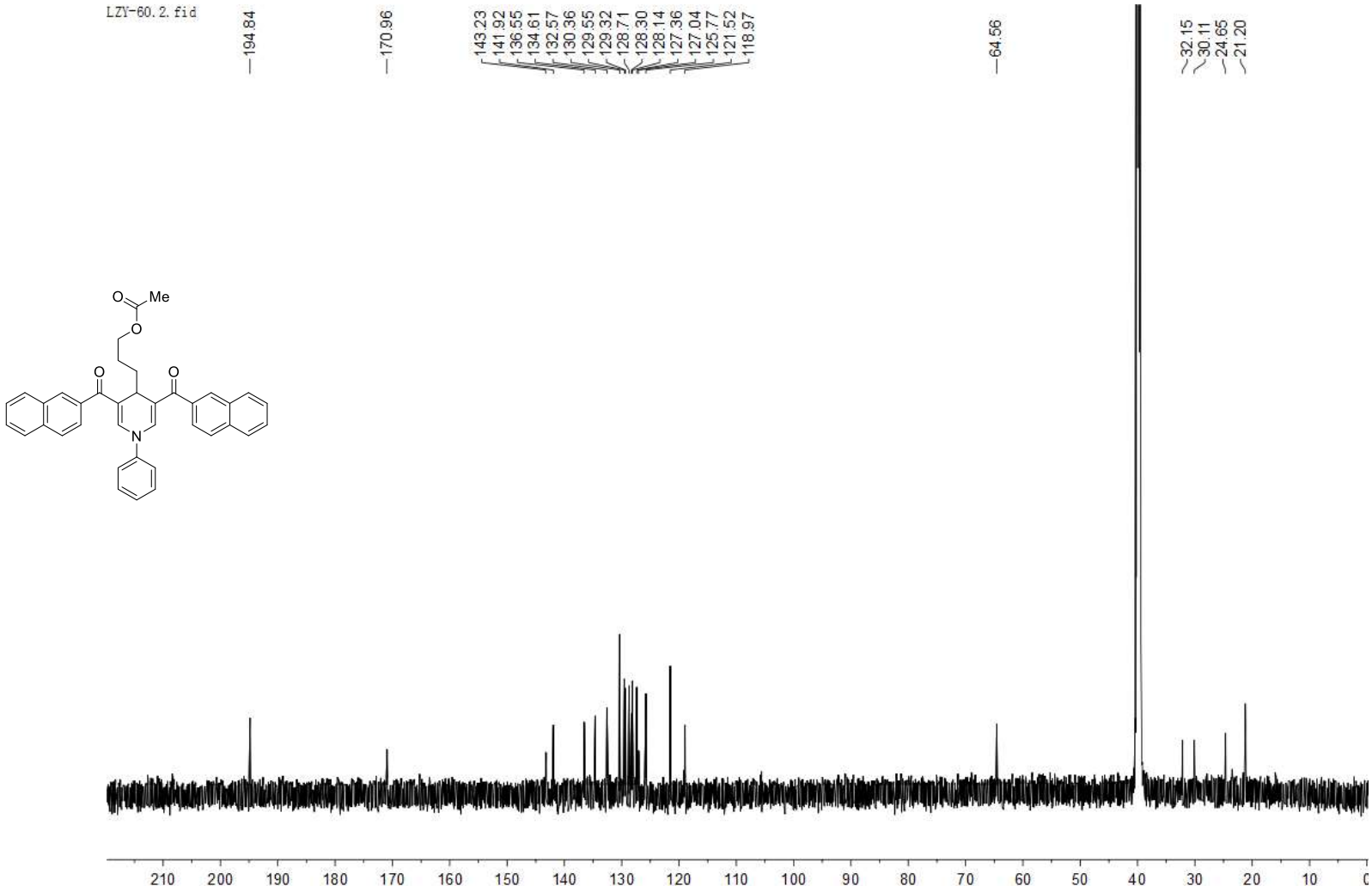


Figure S16. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4h**

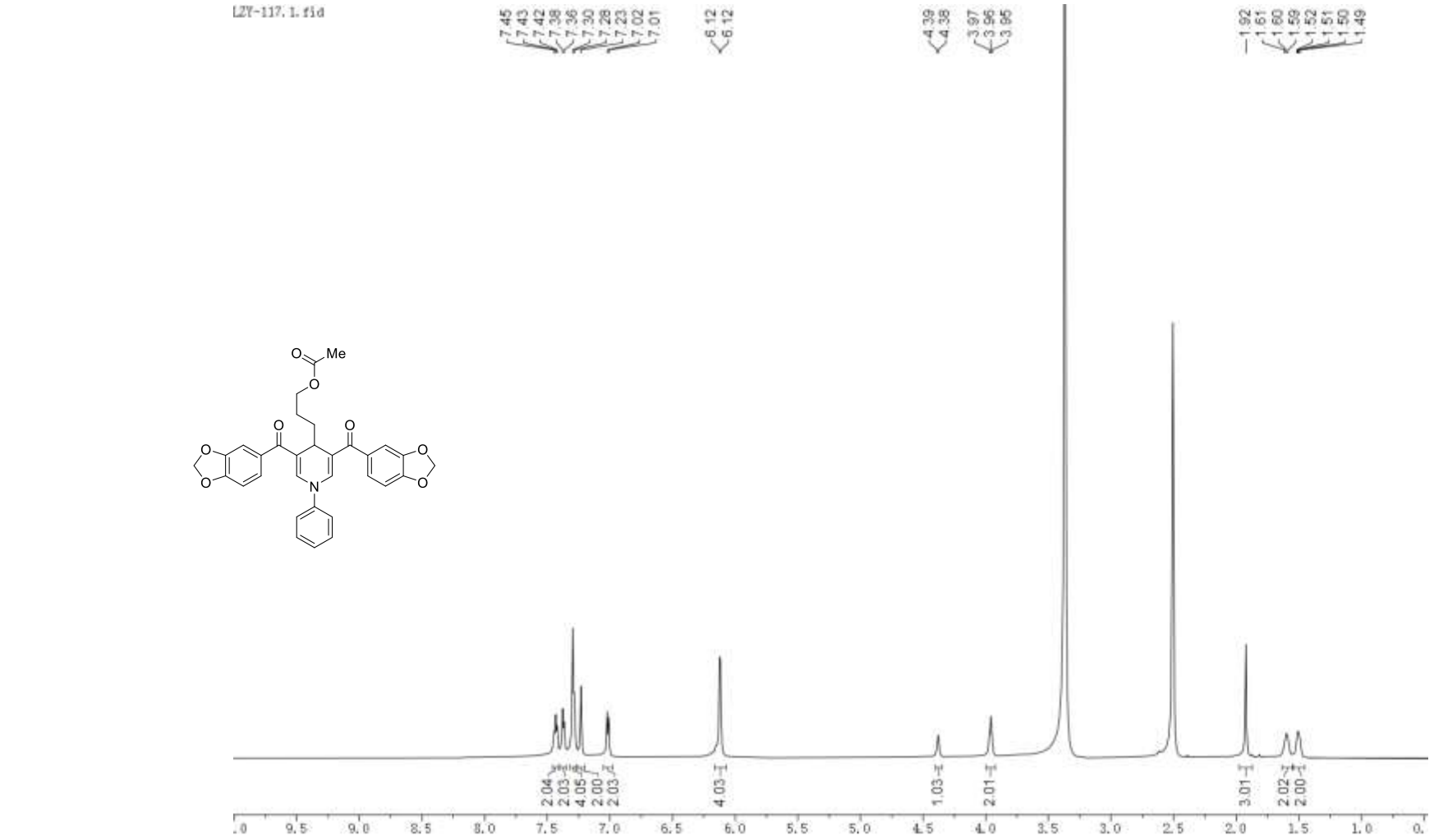


Figure S17. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4i**

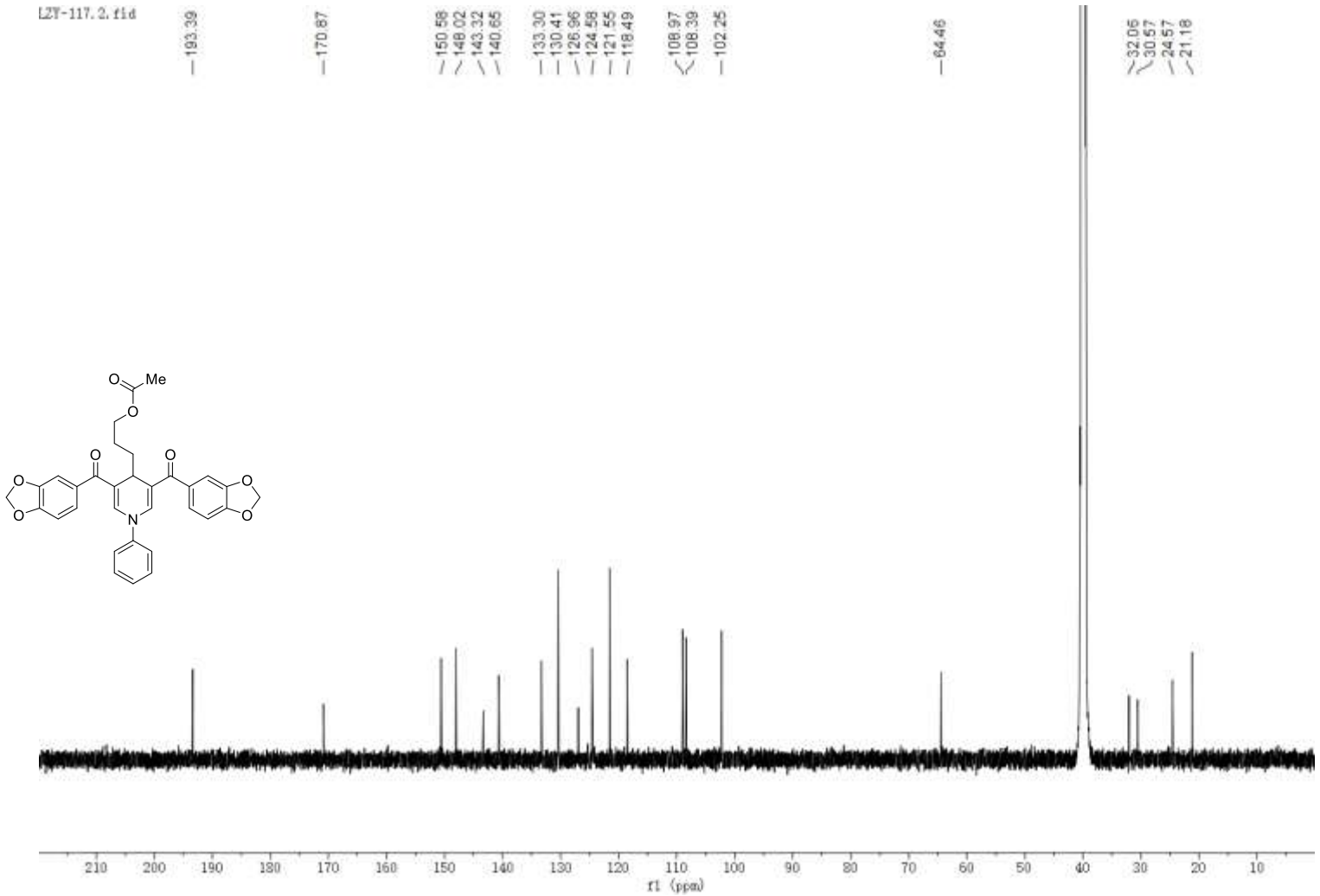


Figure S18. ^{13}C NMR (150 MHz, DMSO-d6) spectra of compound **4i**

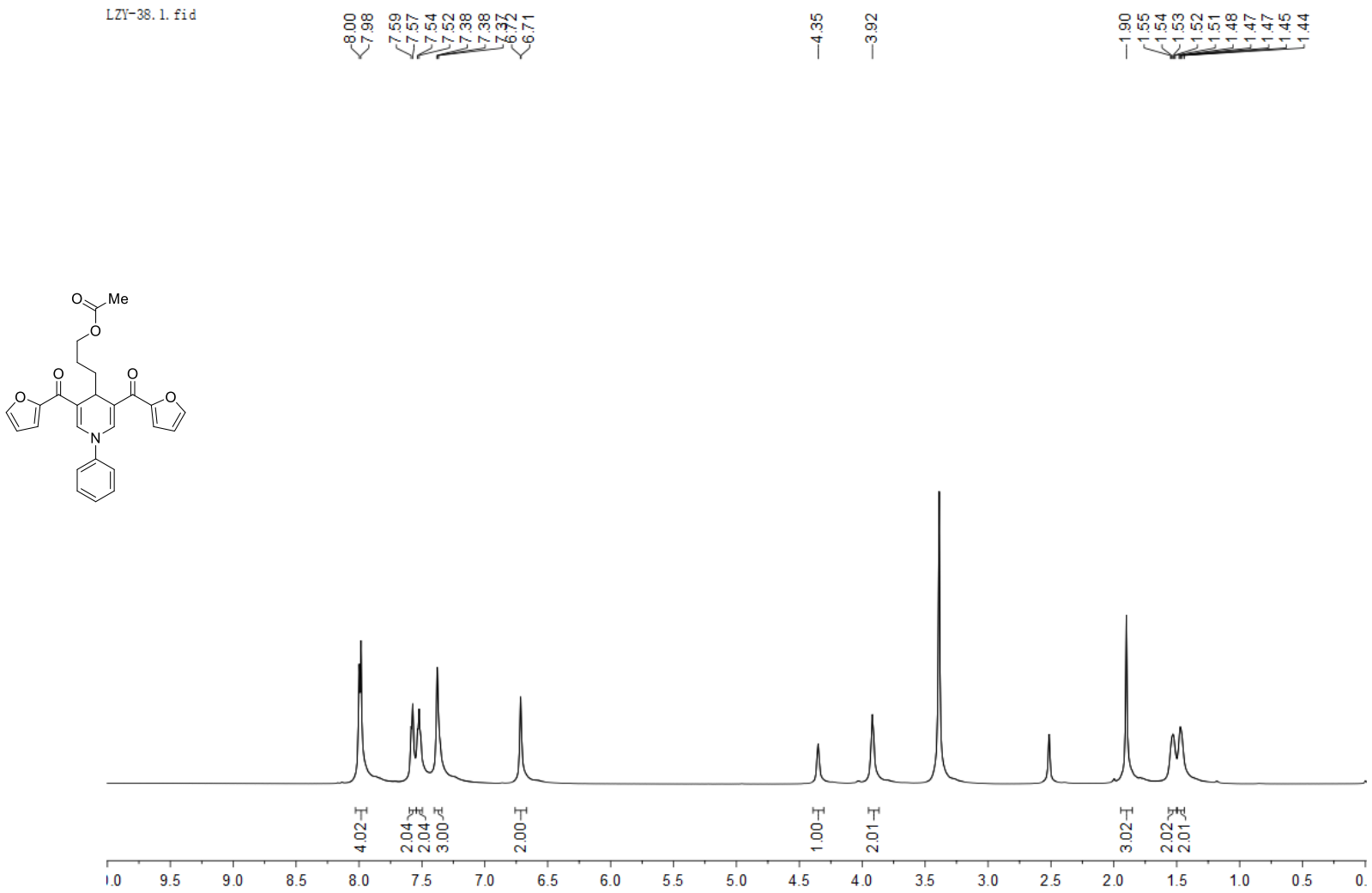


Figure S19. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4j**

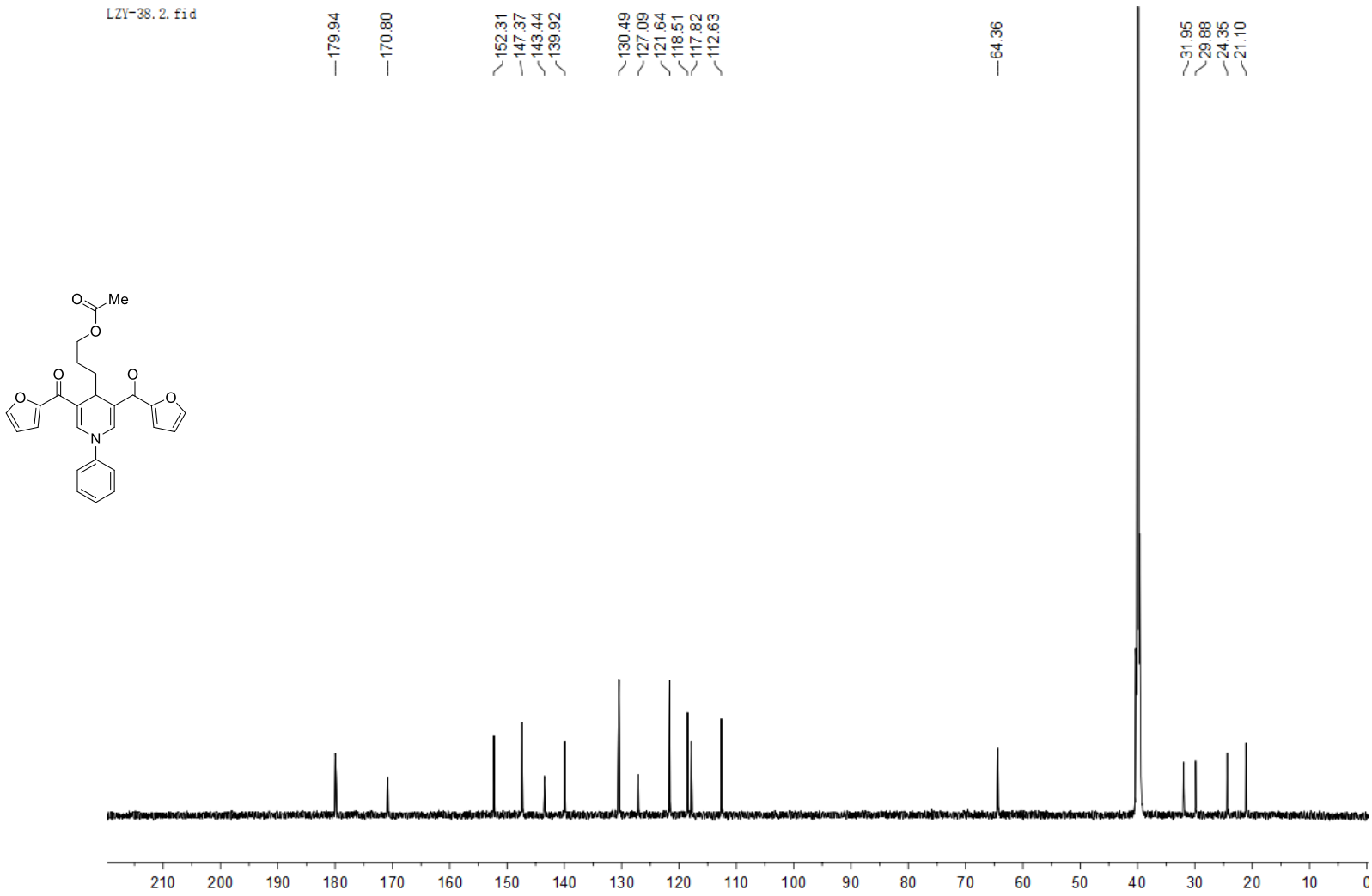


Figure S20. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4j**

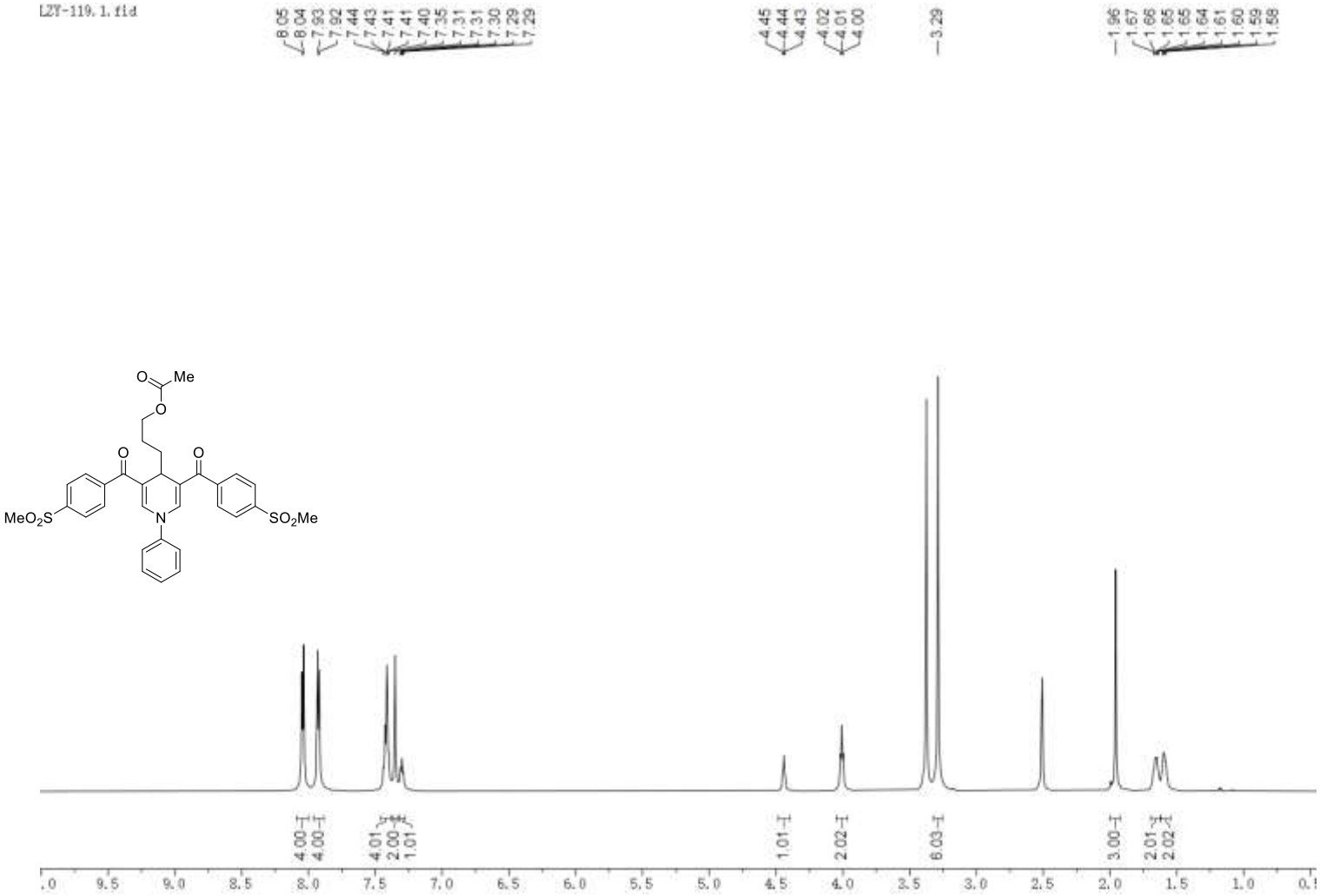


Figure S21. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4k**

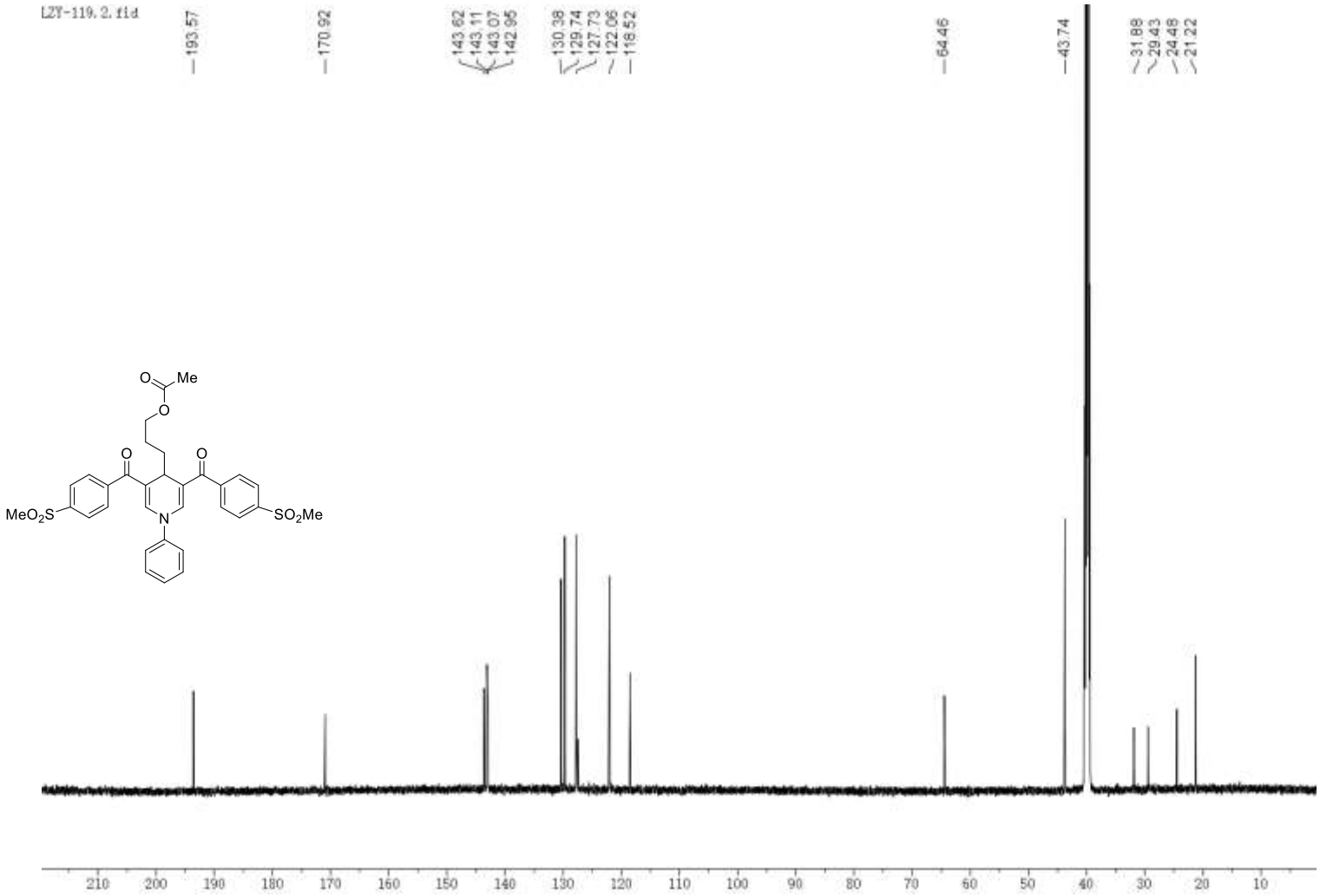


Figure S22. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4k**

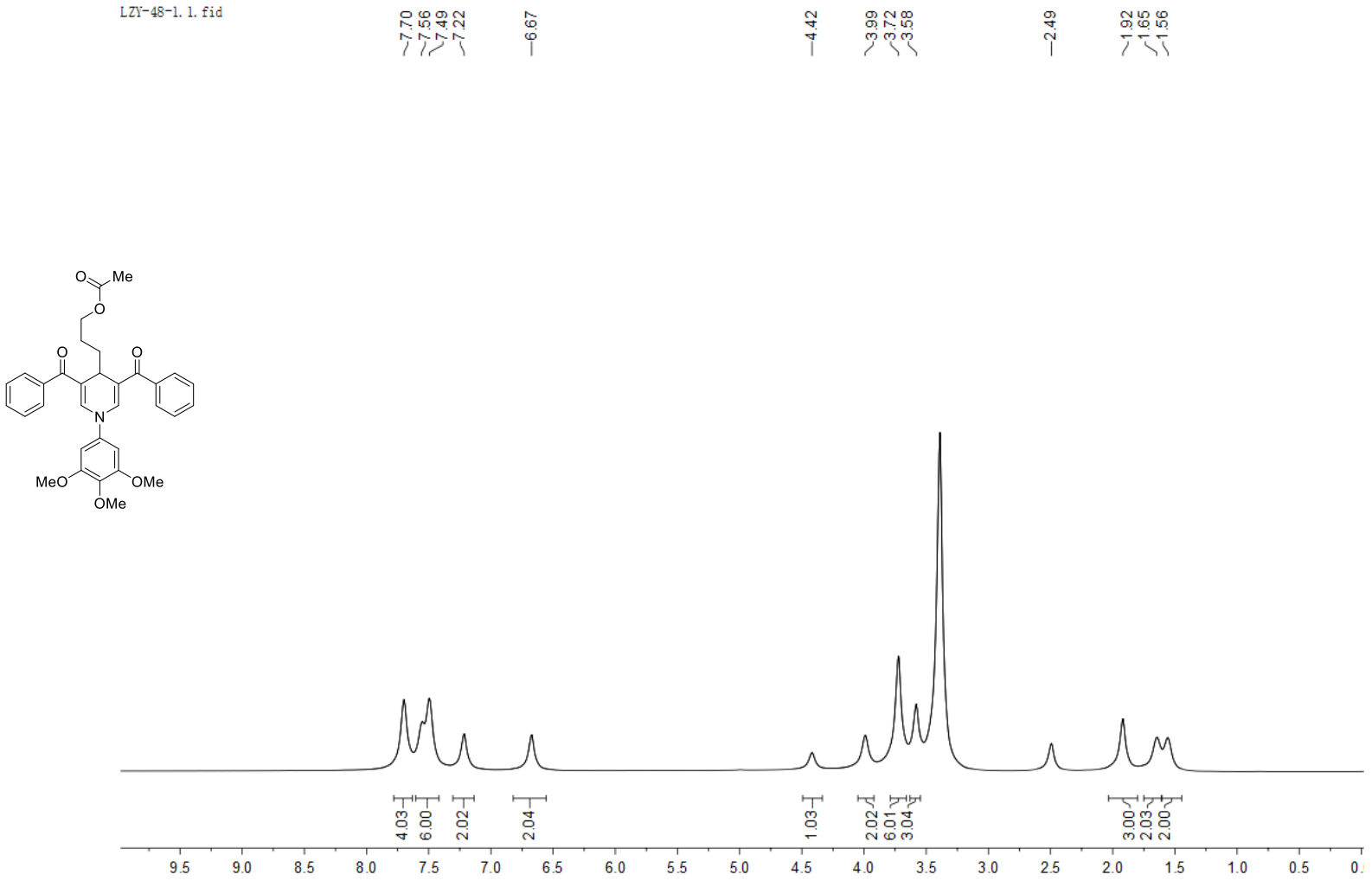


Figure S23. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4l**

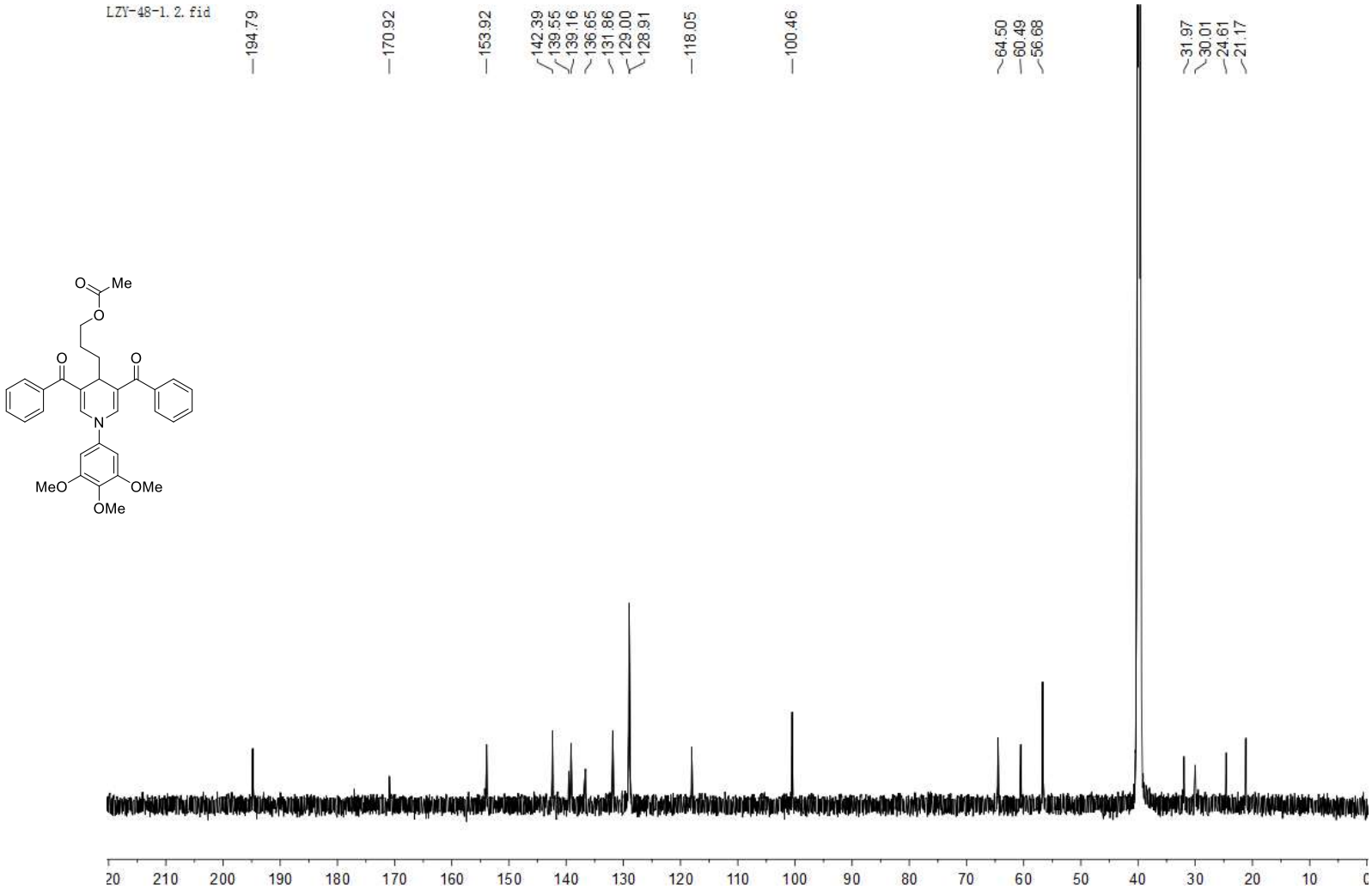


Figure S24. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4l**

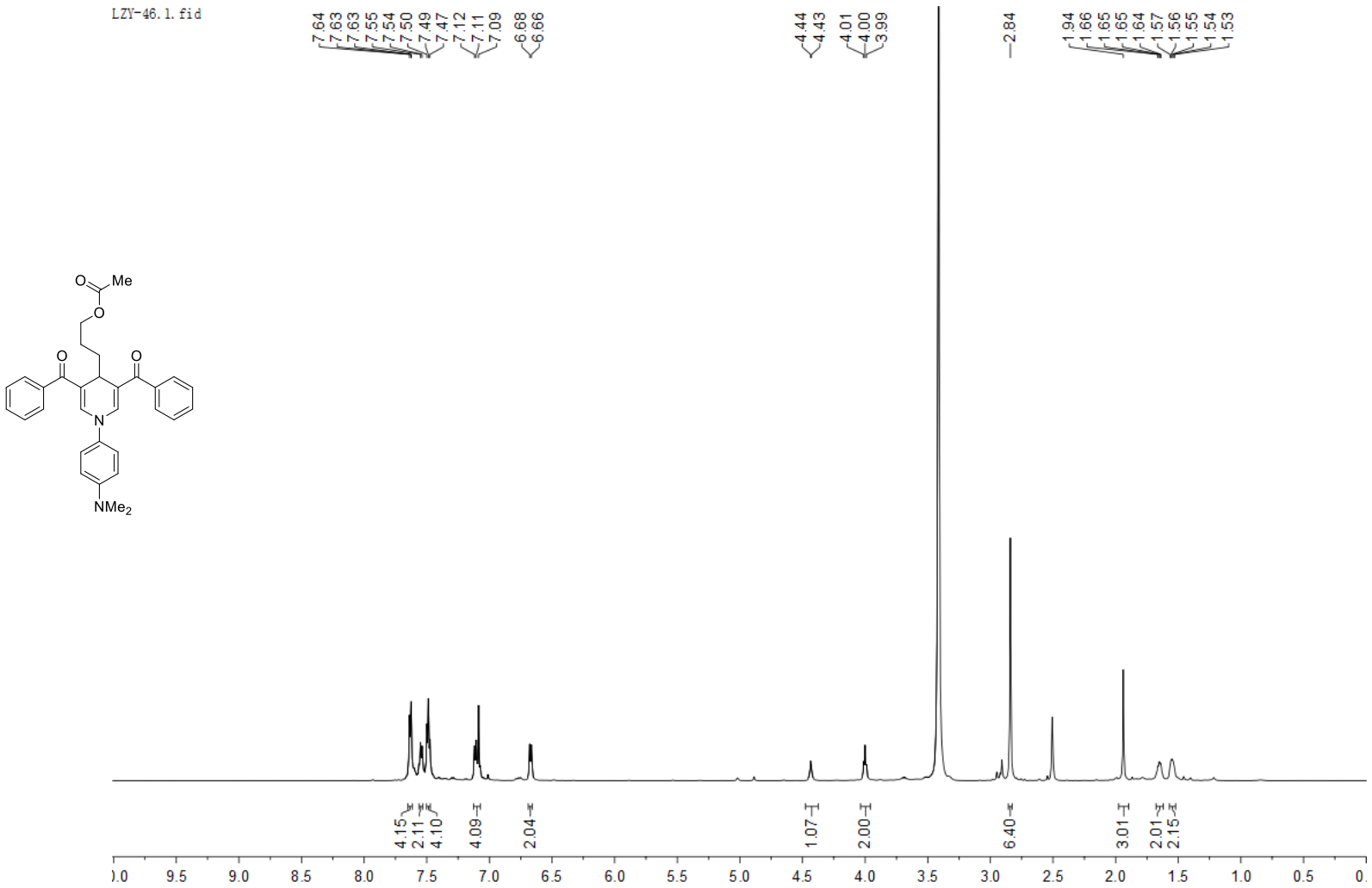


Figure S25. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4m**

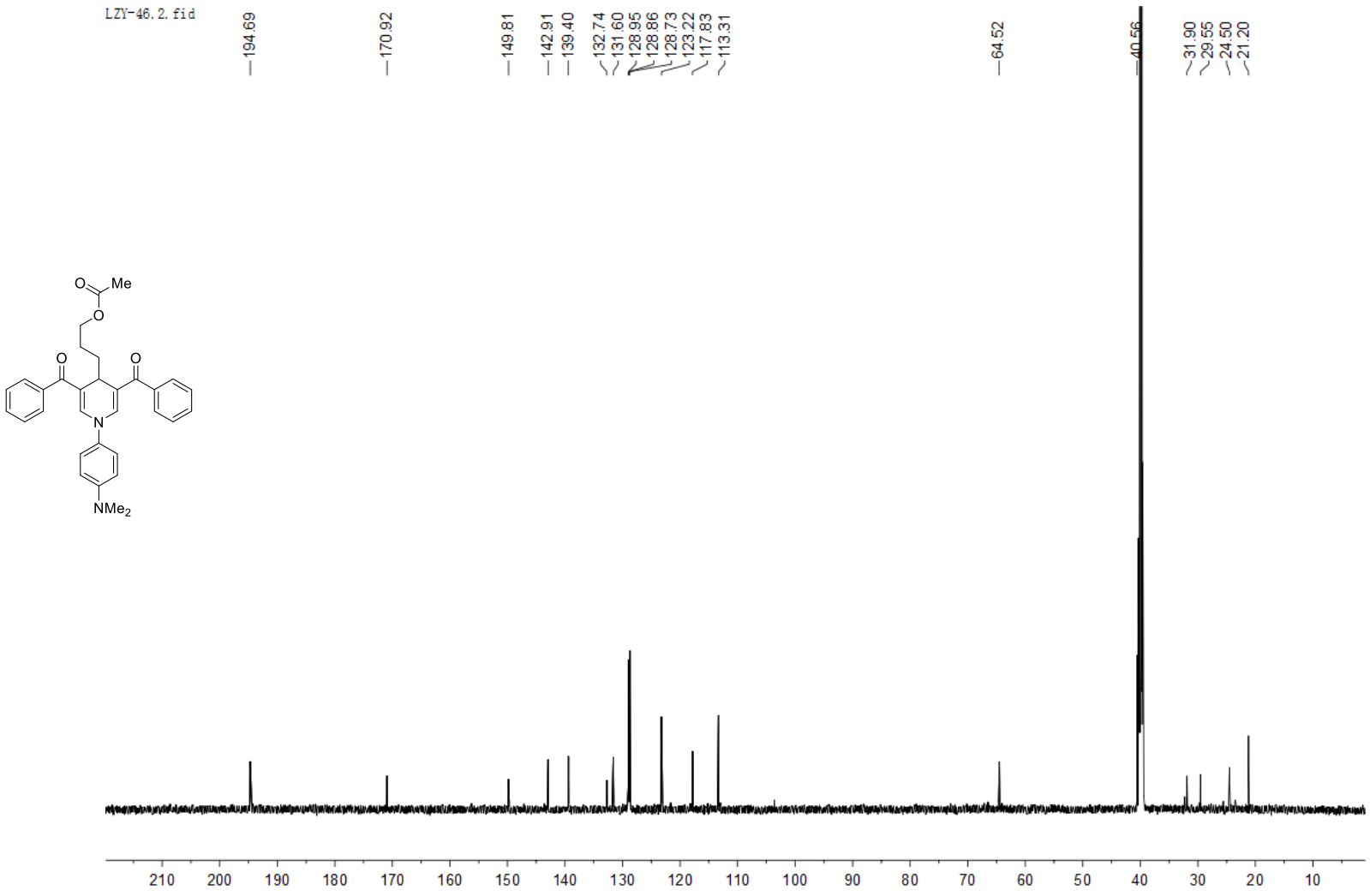


Figure S26. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4m**

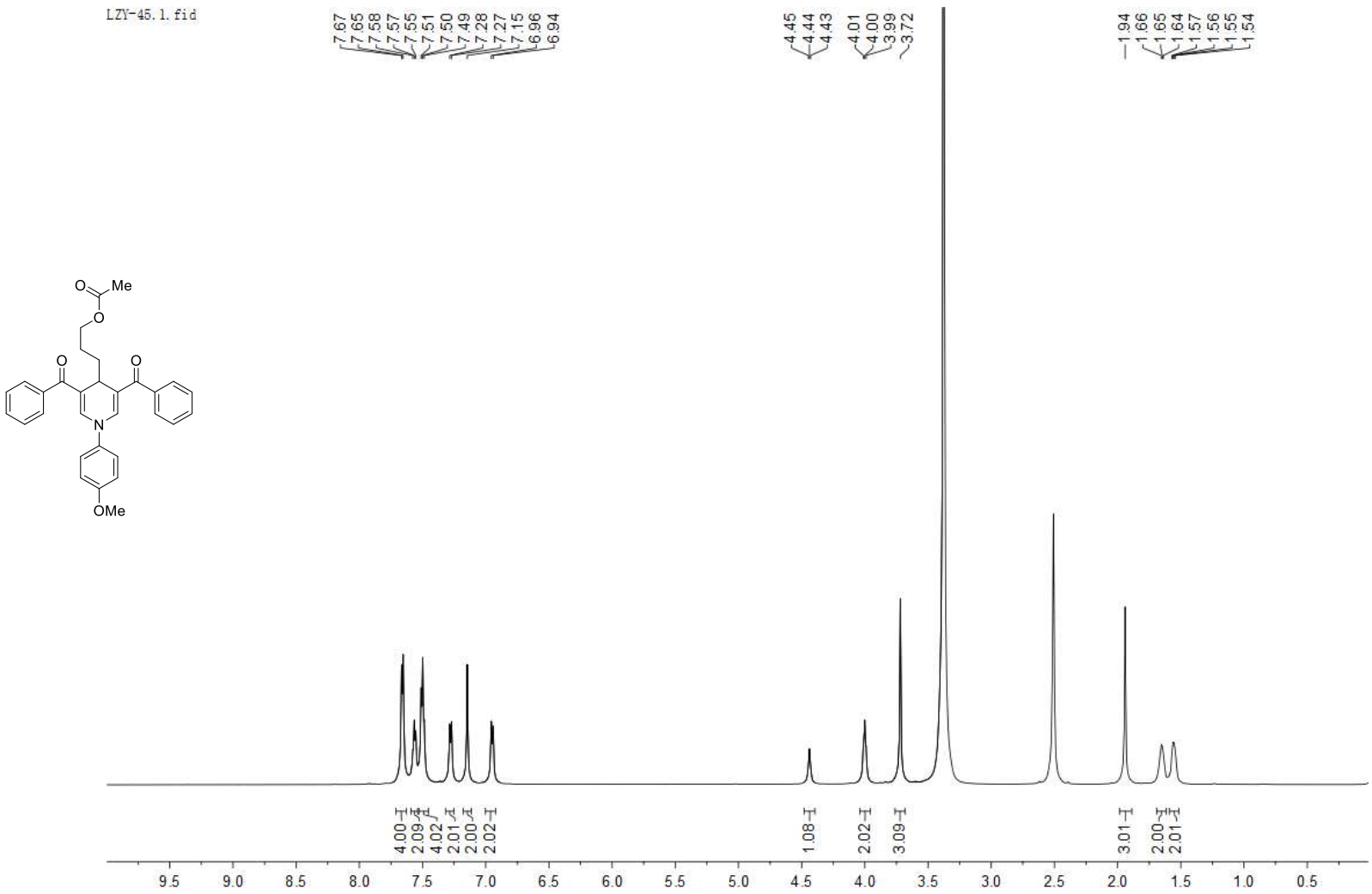


Figure S27. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4n**

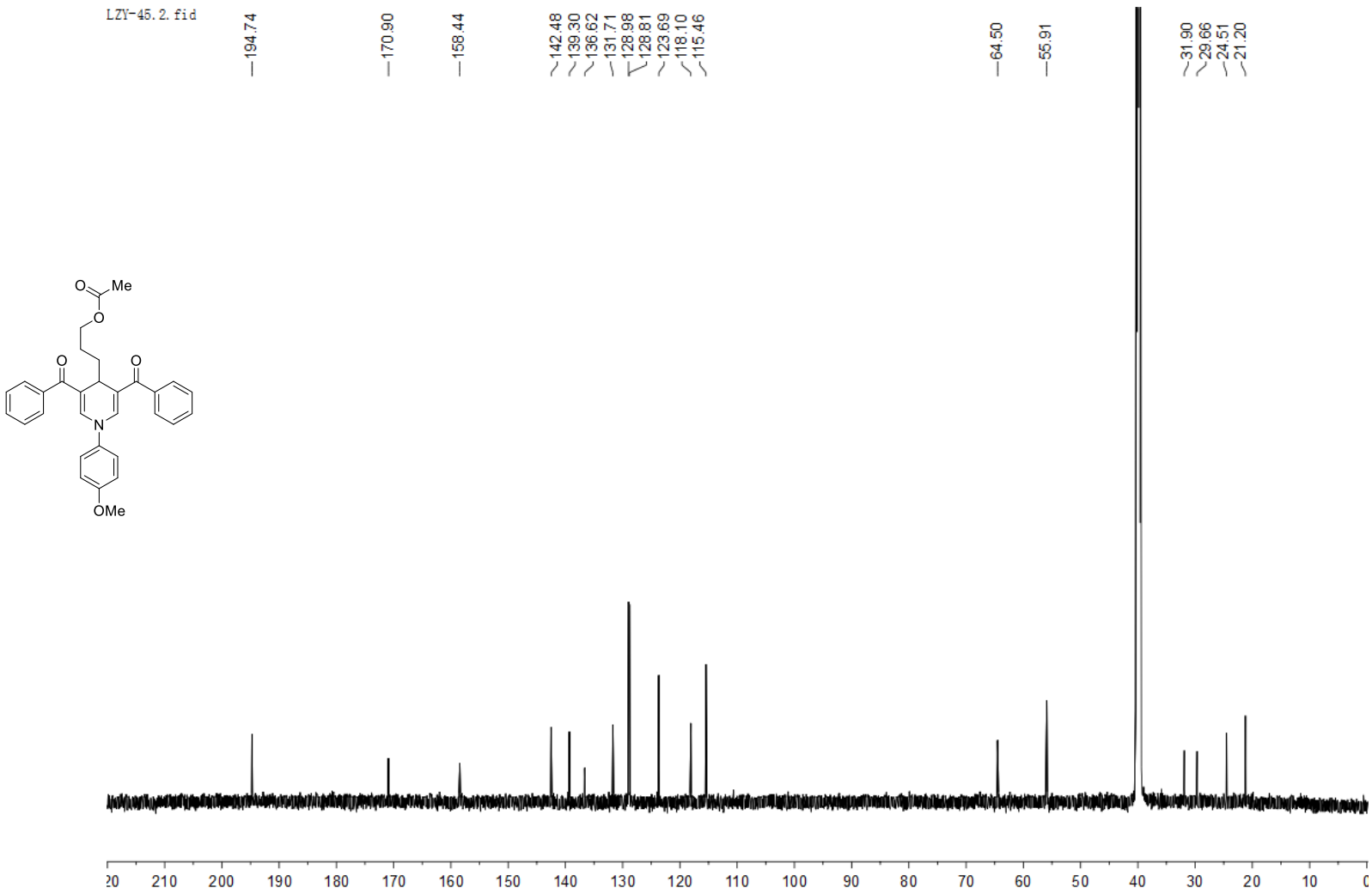


Figure S28. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4n**

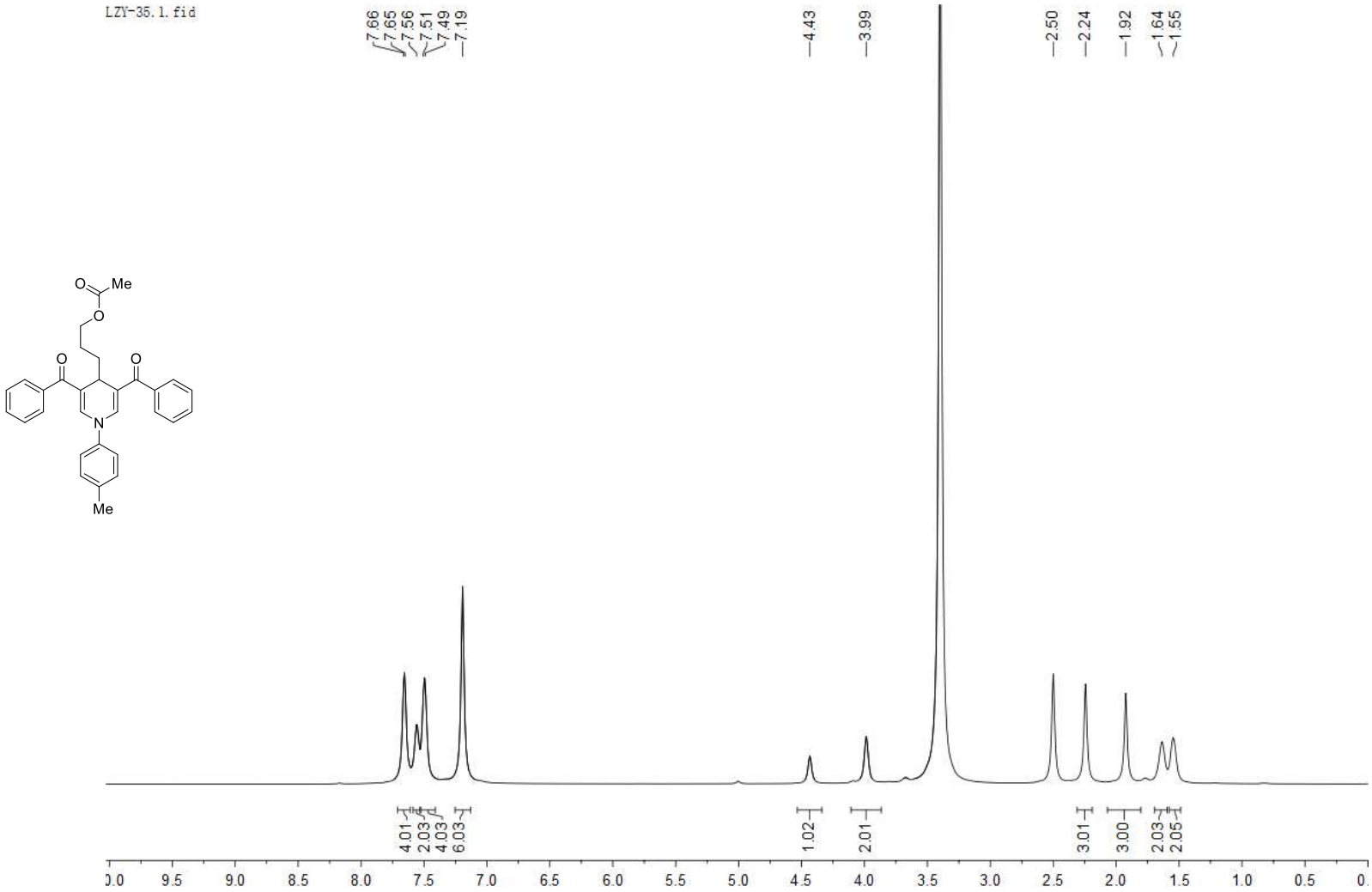


Figure S29. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4o**

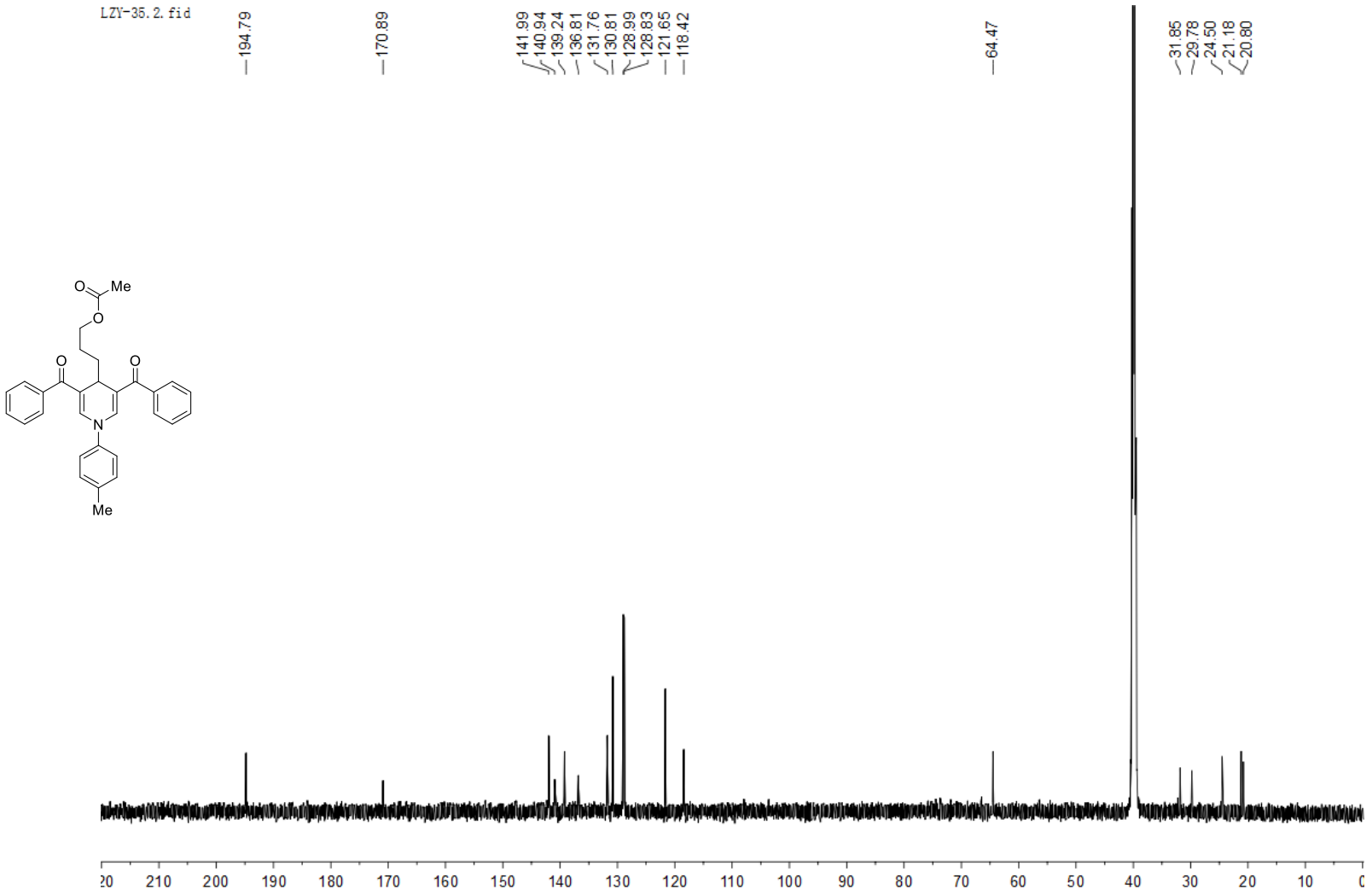


Figure S30. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4o**

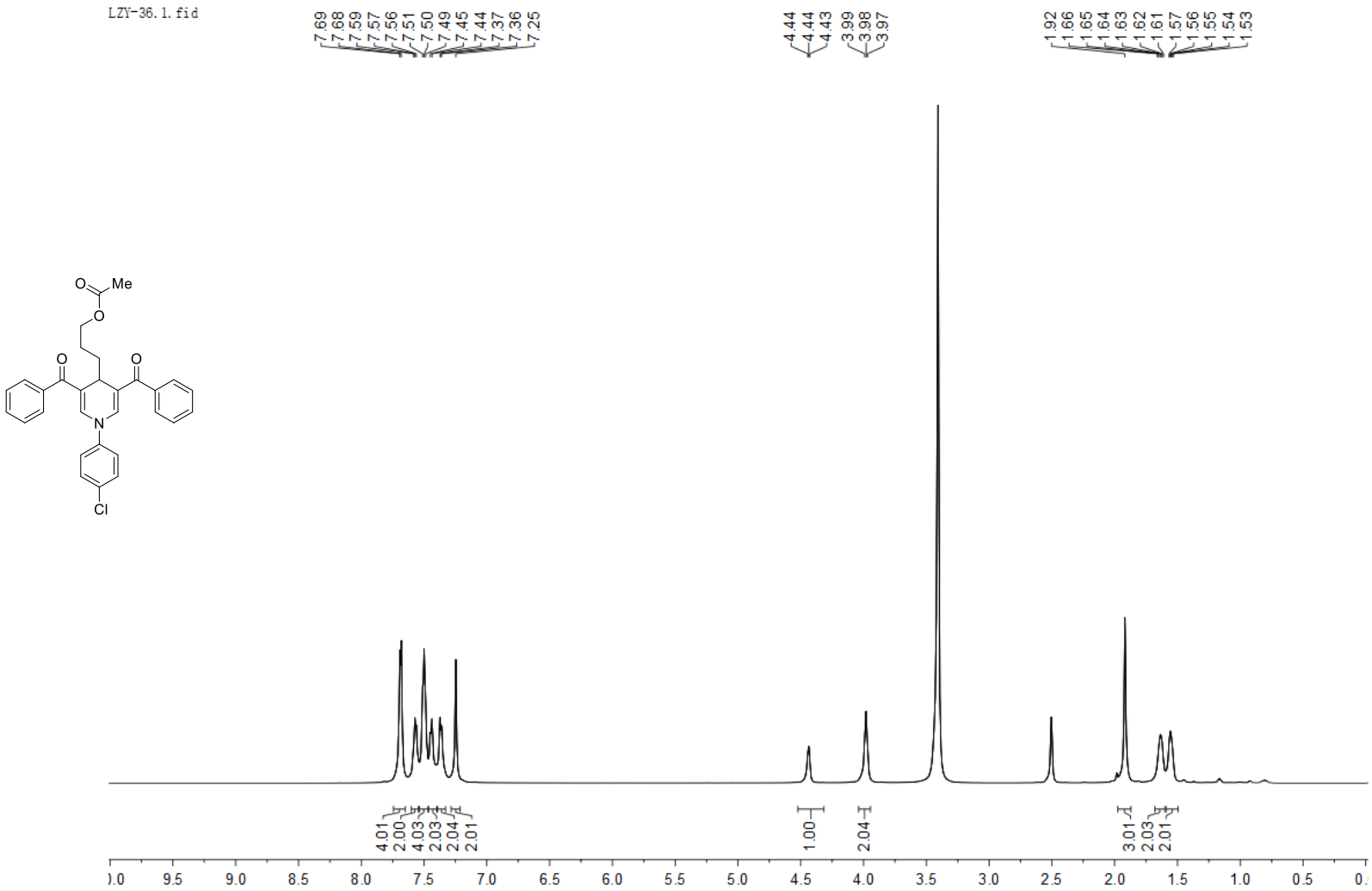


Figure S31. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4p**

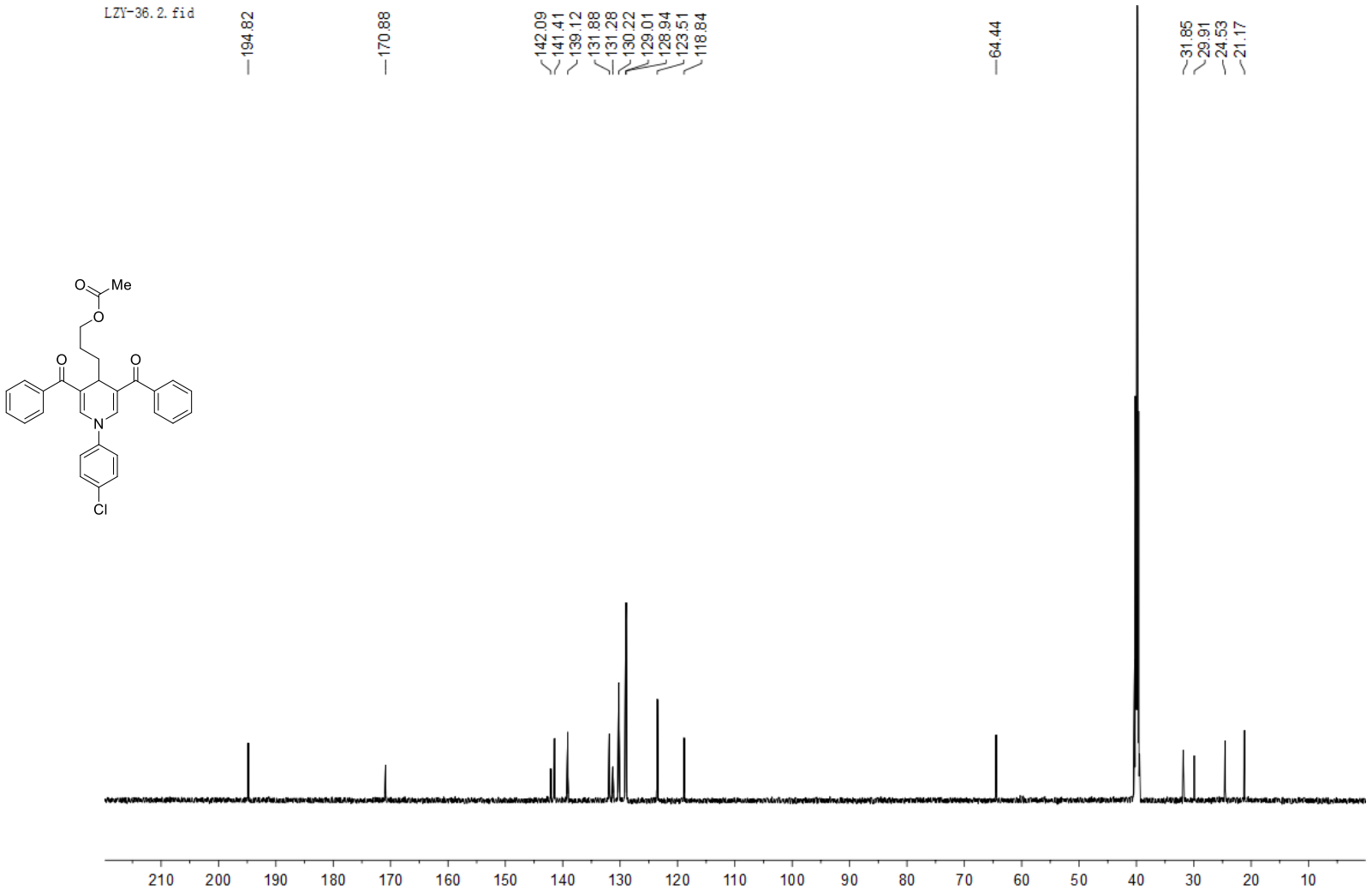


Figure S32. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4p**

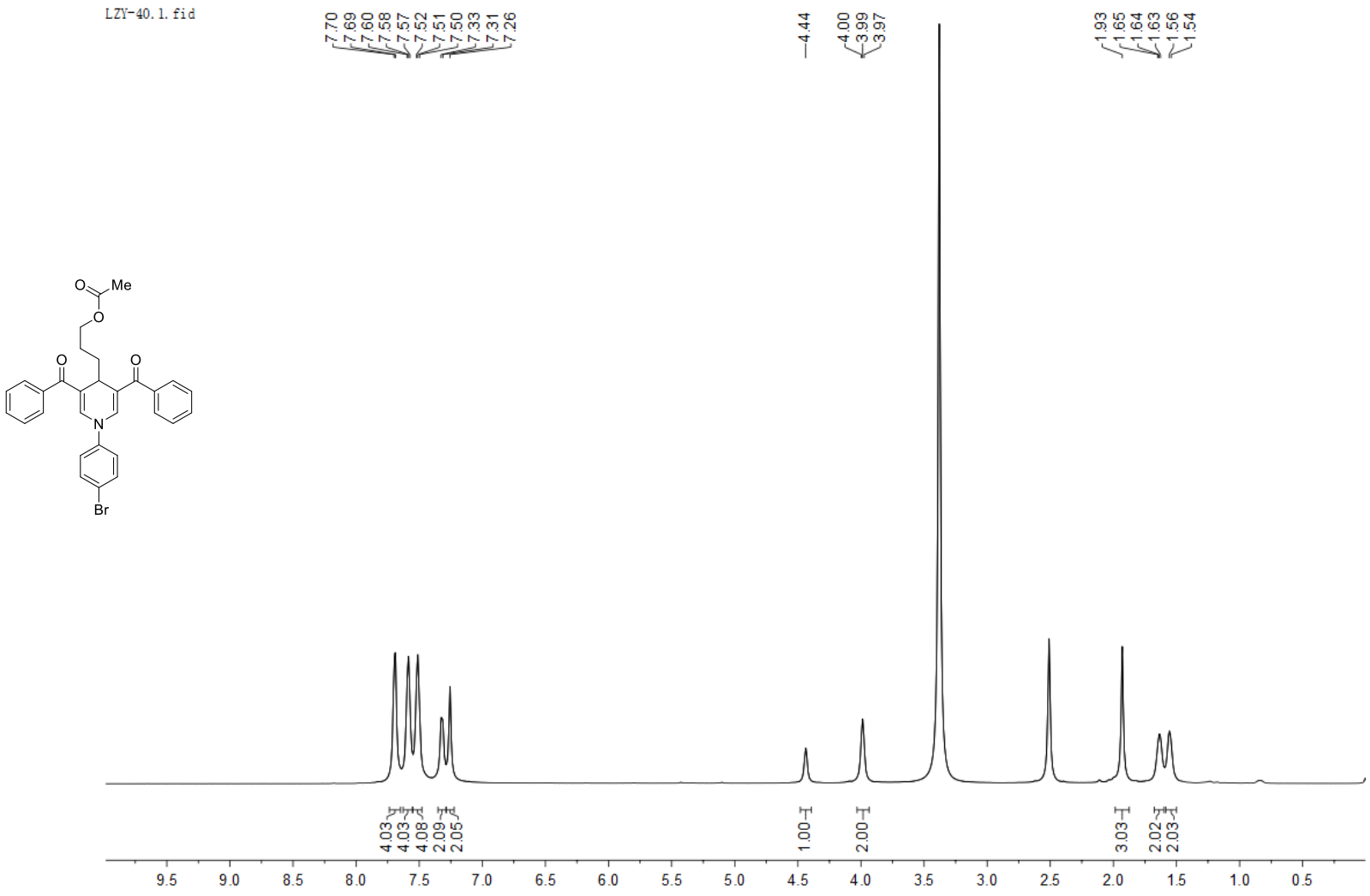


Figure S33. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4q**

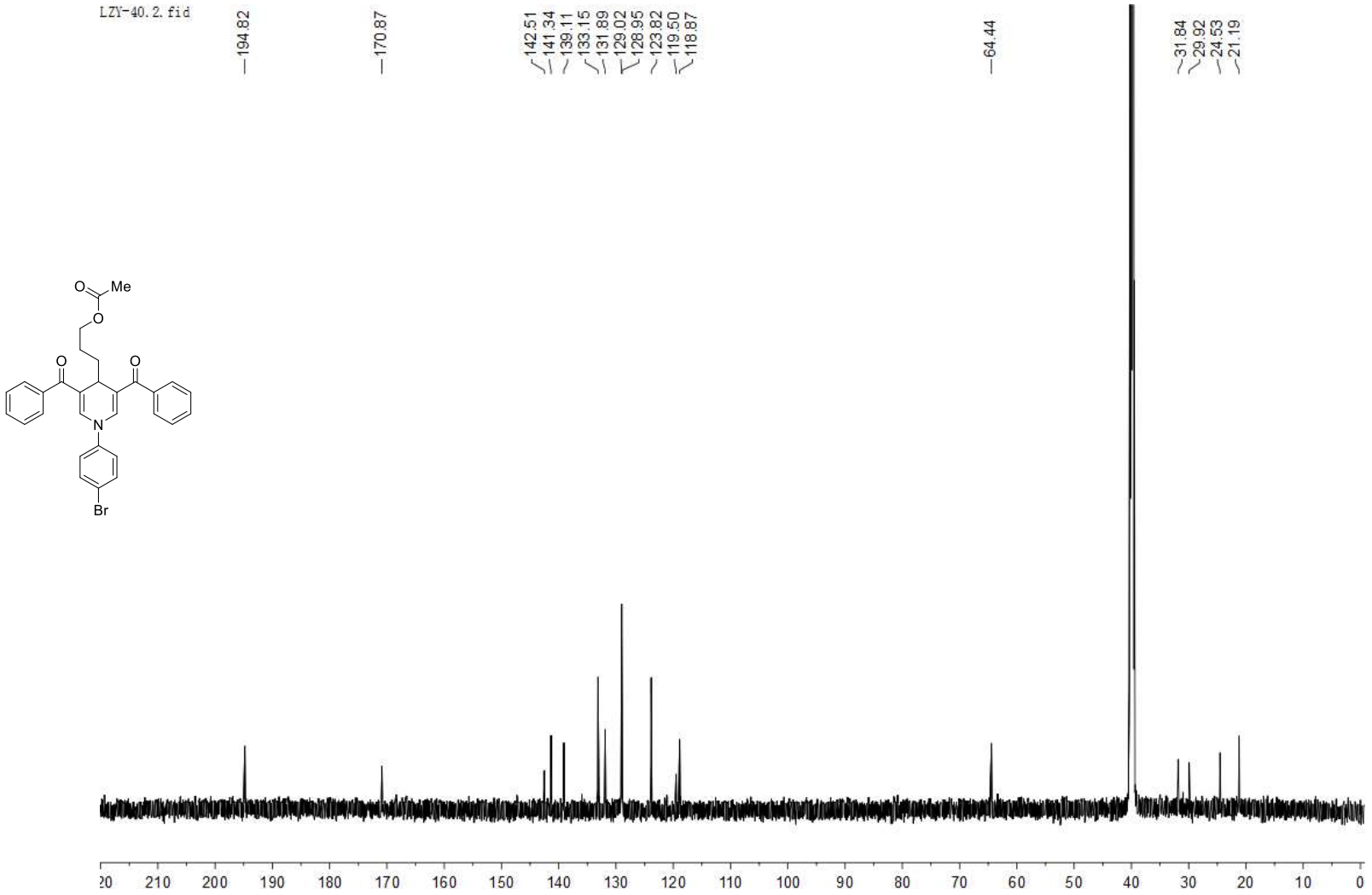


Figure S34. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4q**

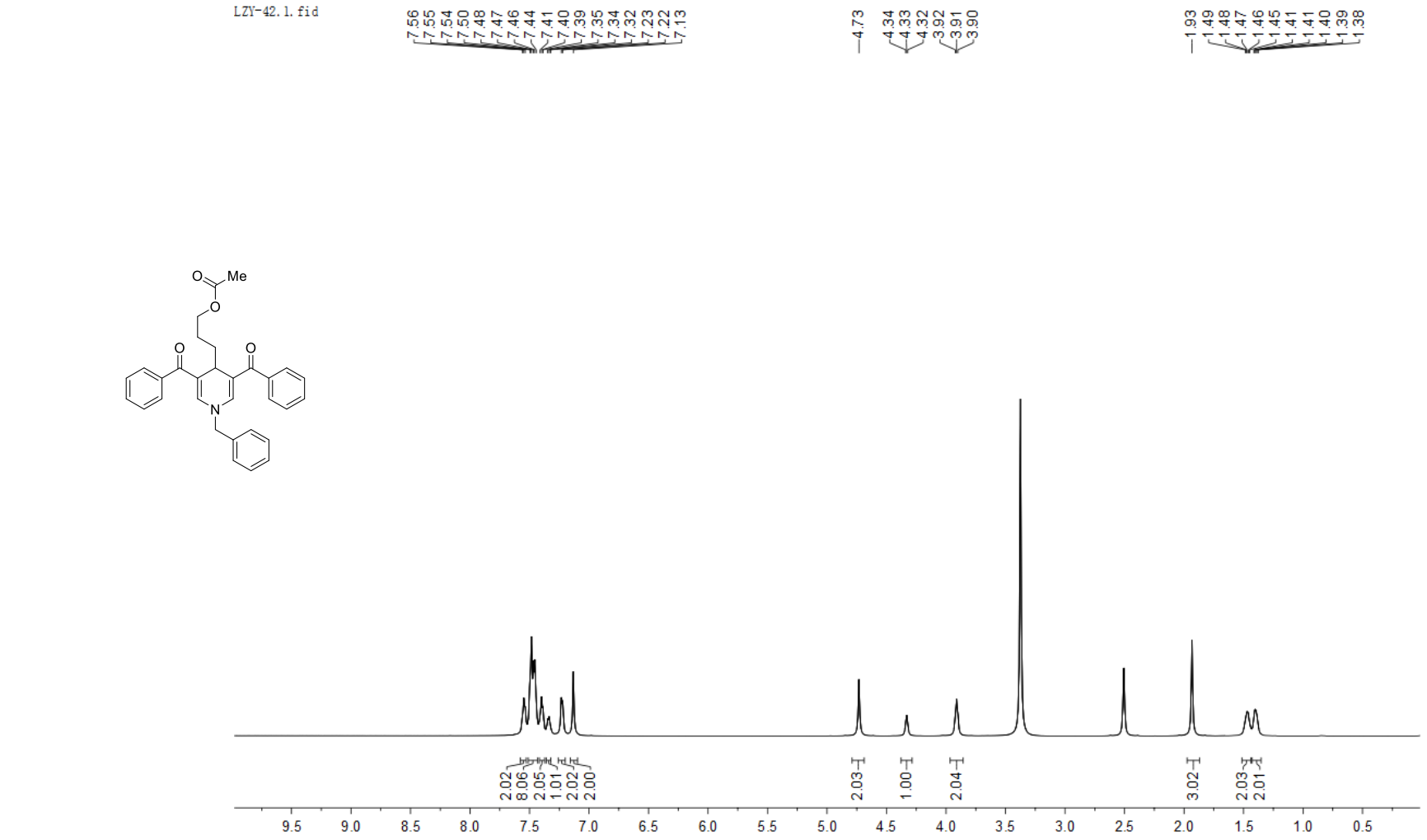


Figure S35. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4r**

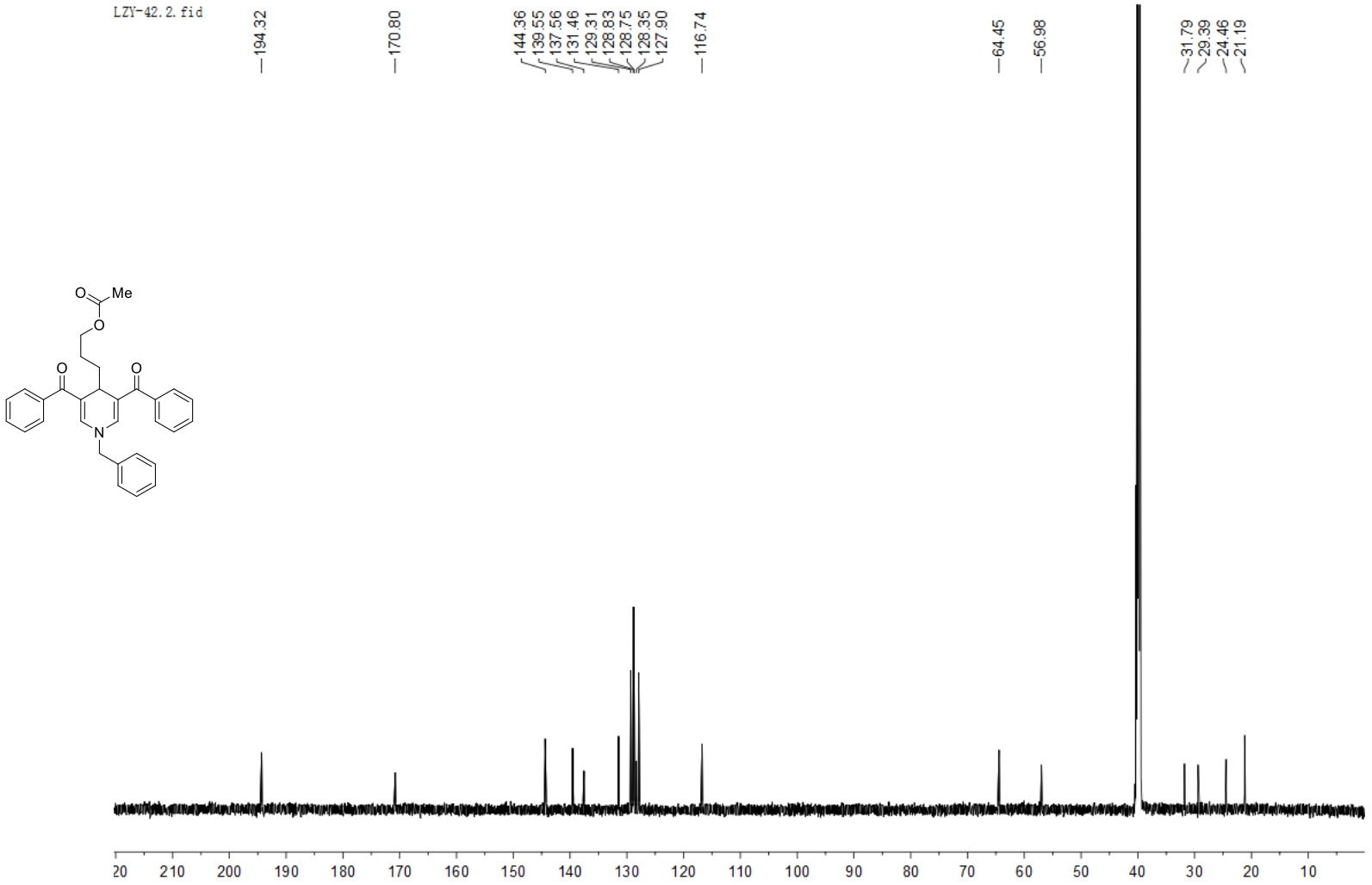


Figure S36. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4r**

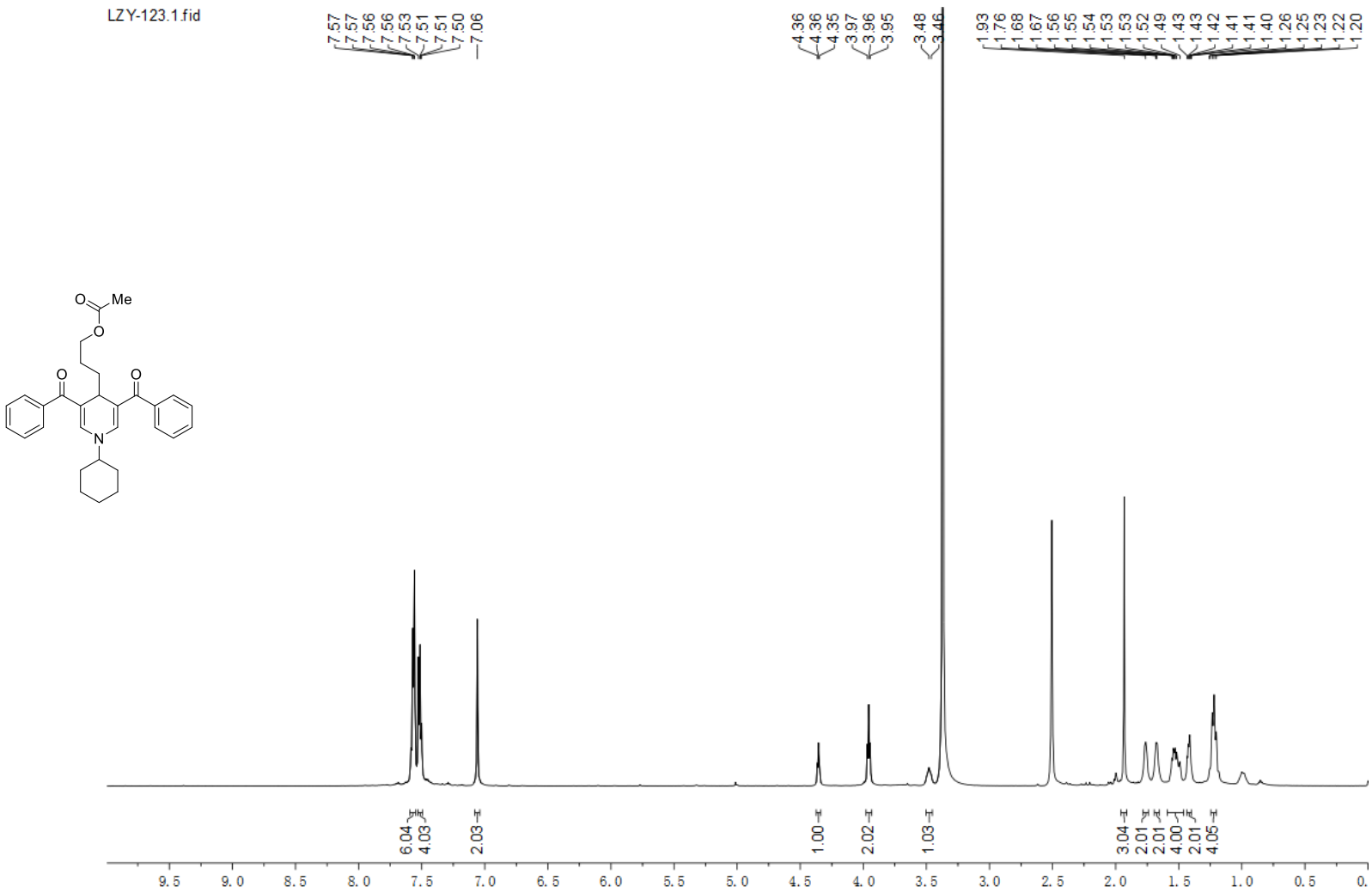


Figure S37. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4s**

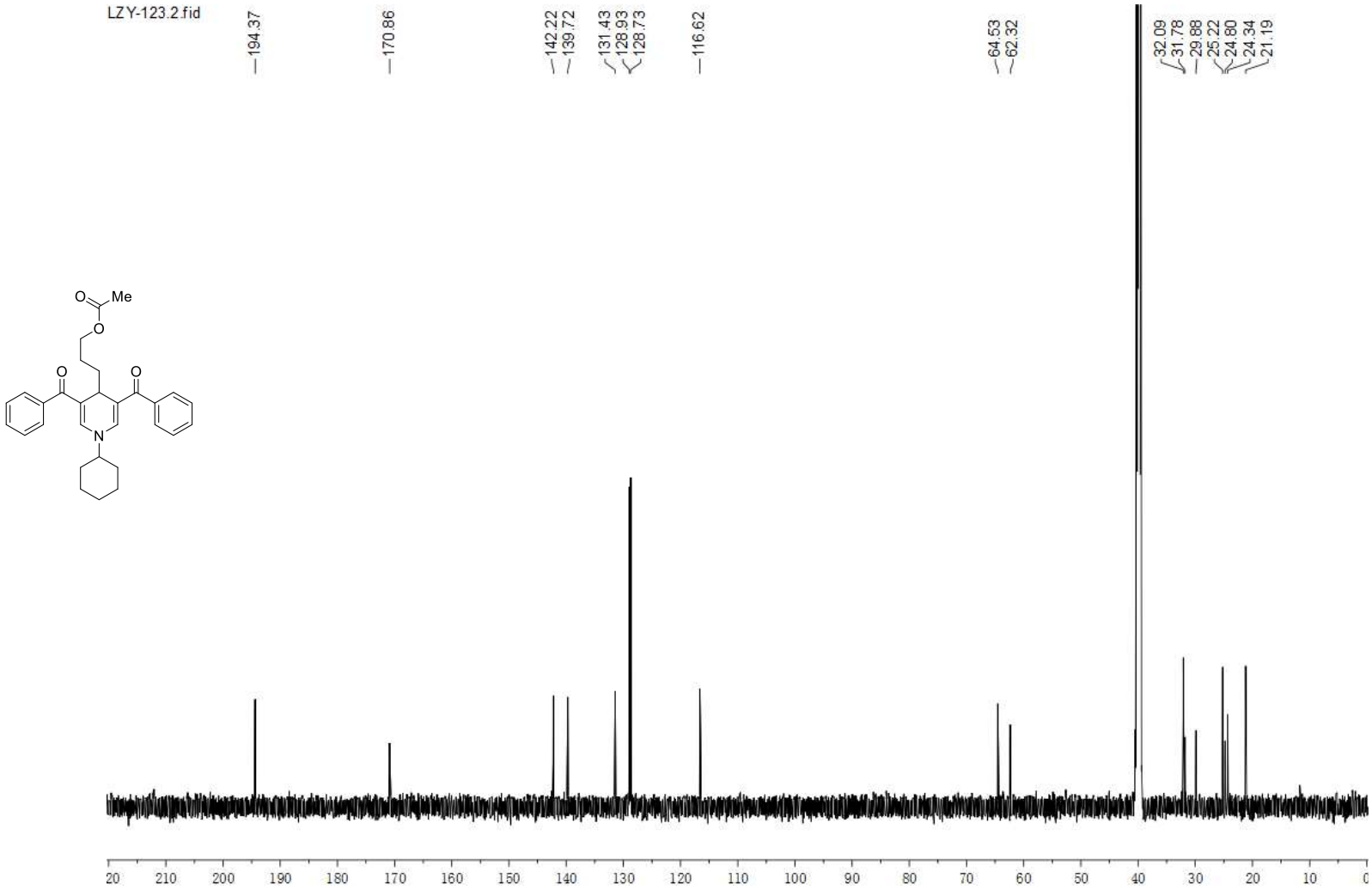


Figure S38. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4s**

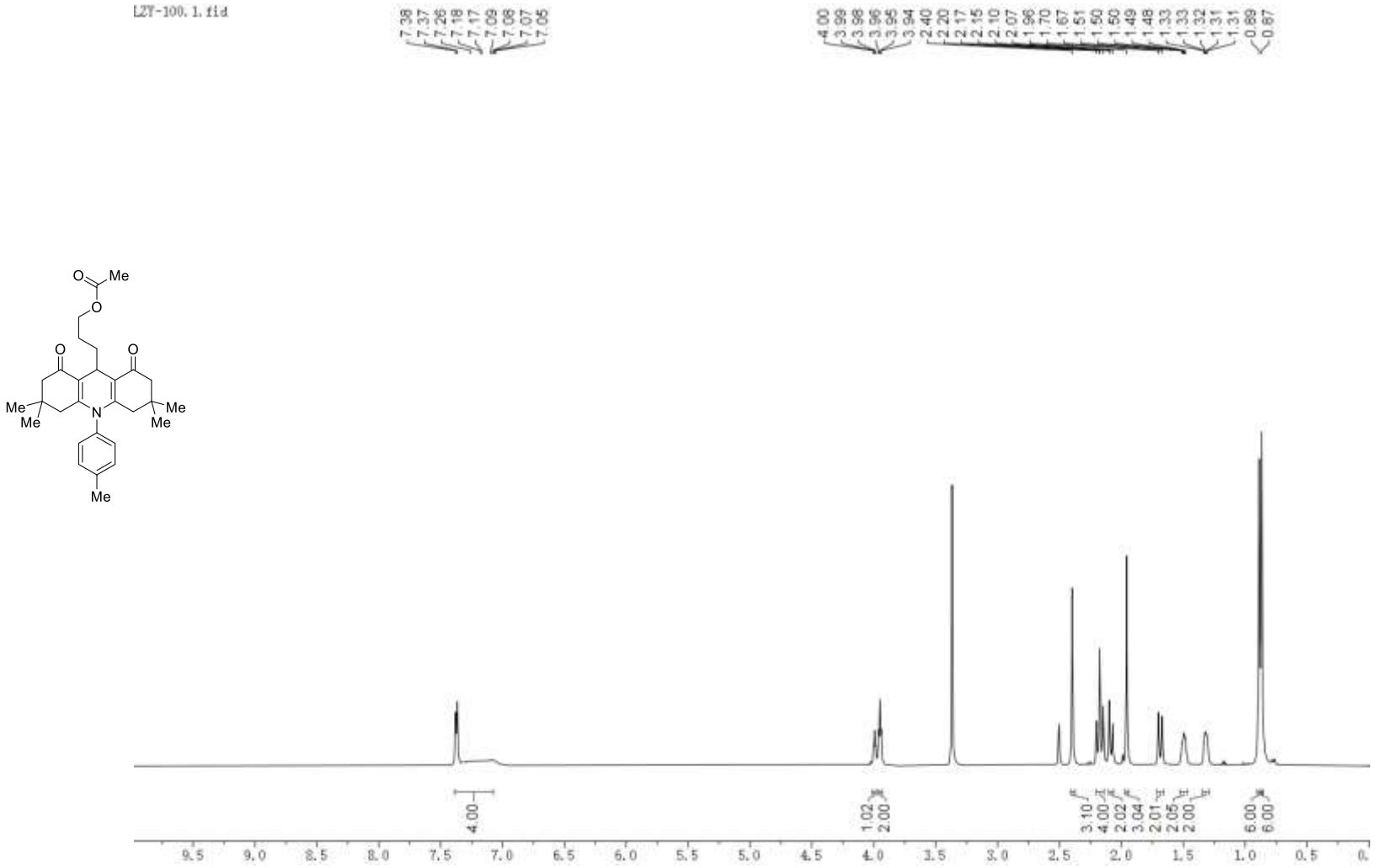


Figure S39. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4t**

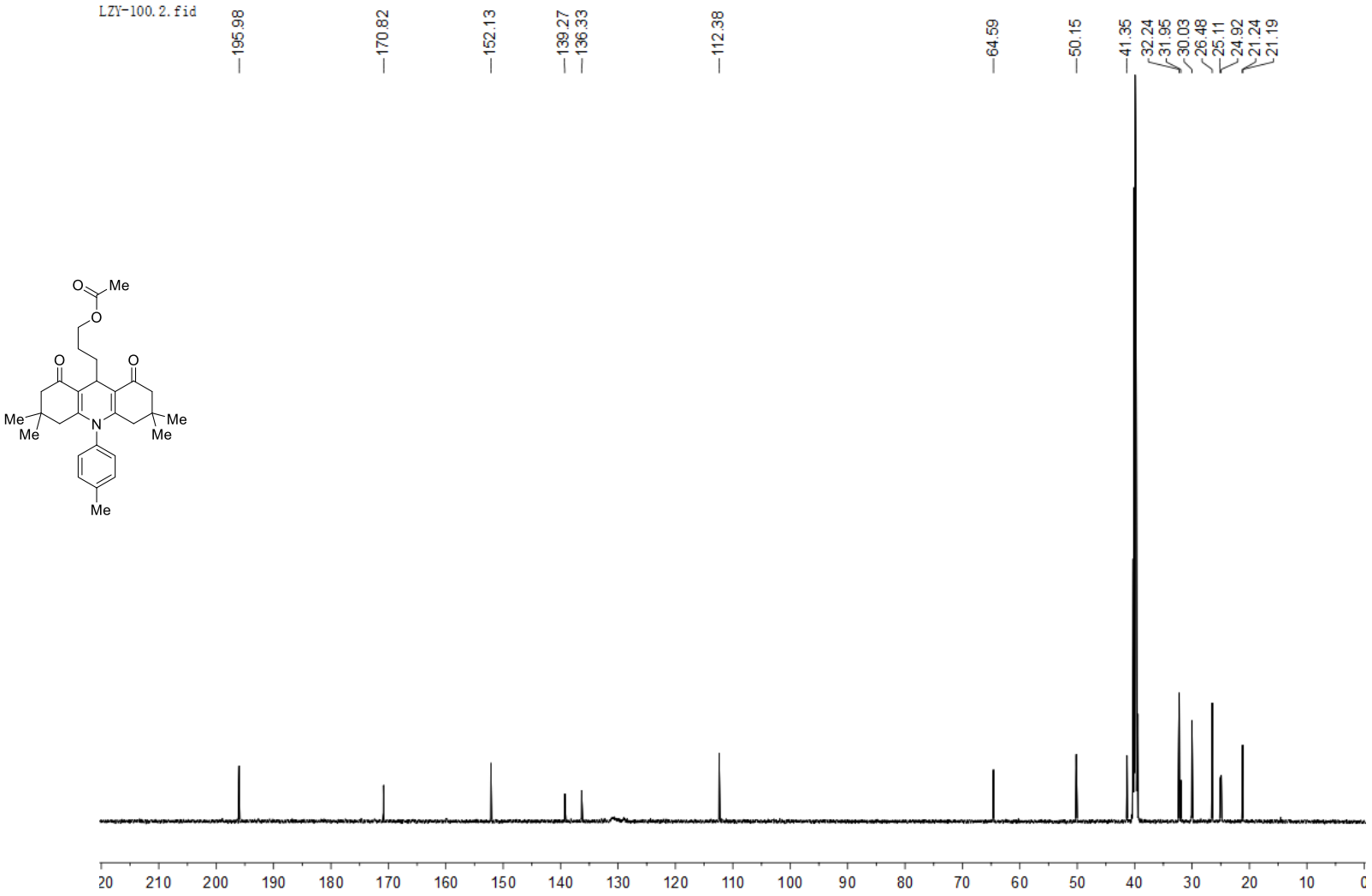


Figure S40. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4t**

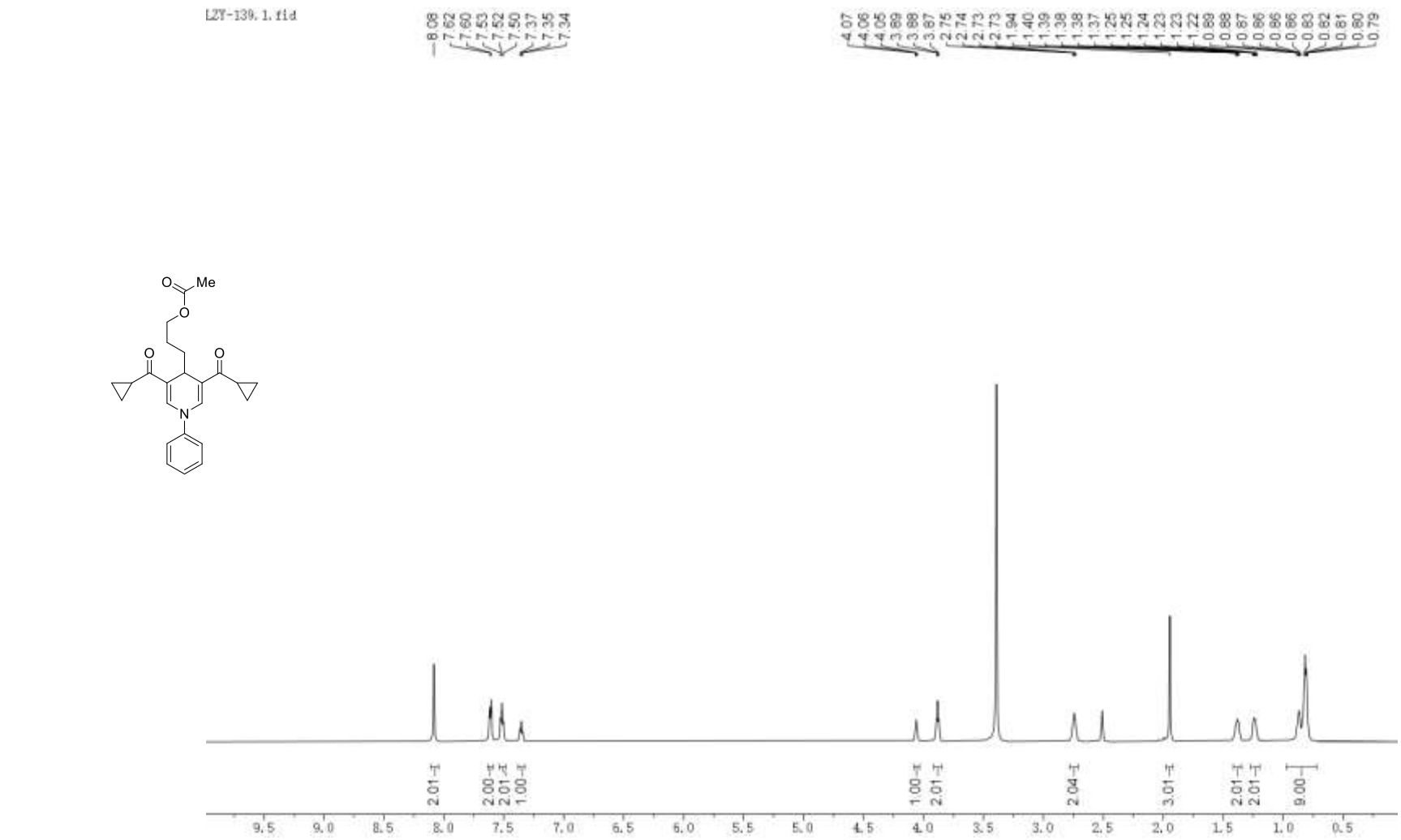


Figure S41. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4u (LZY-139)**

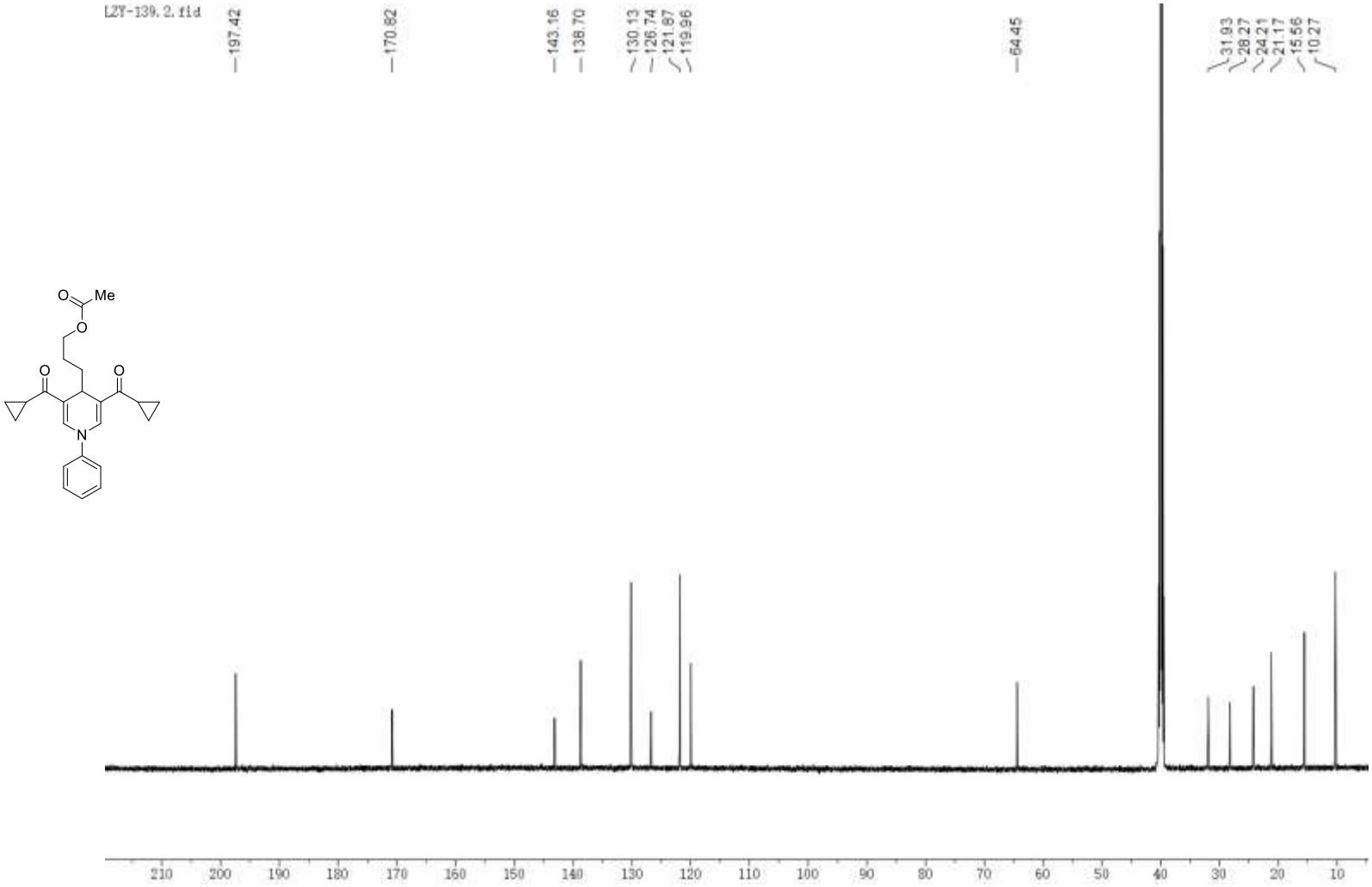


Figure S42. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4u**

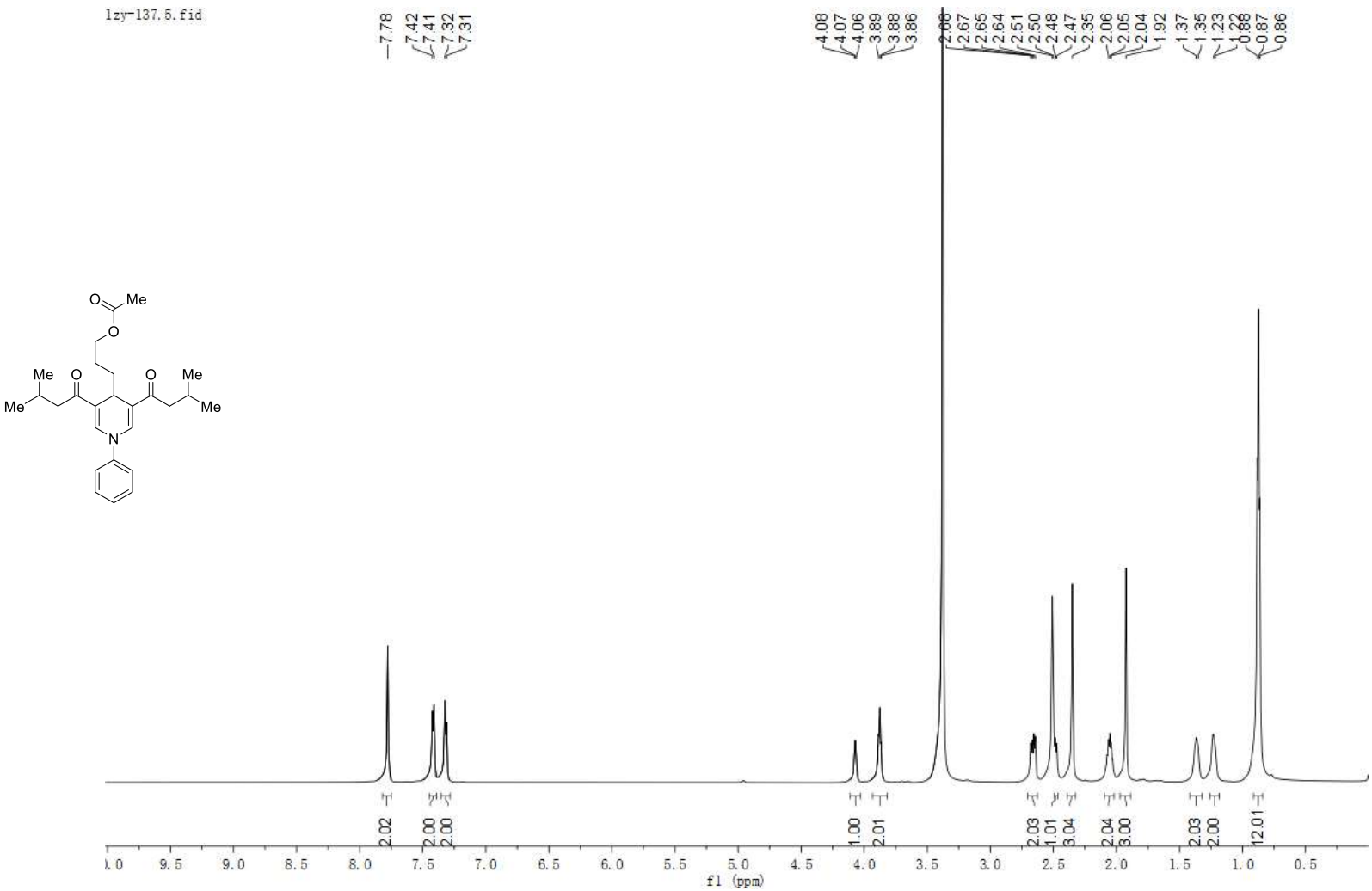


Figure S43. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4v**

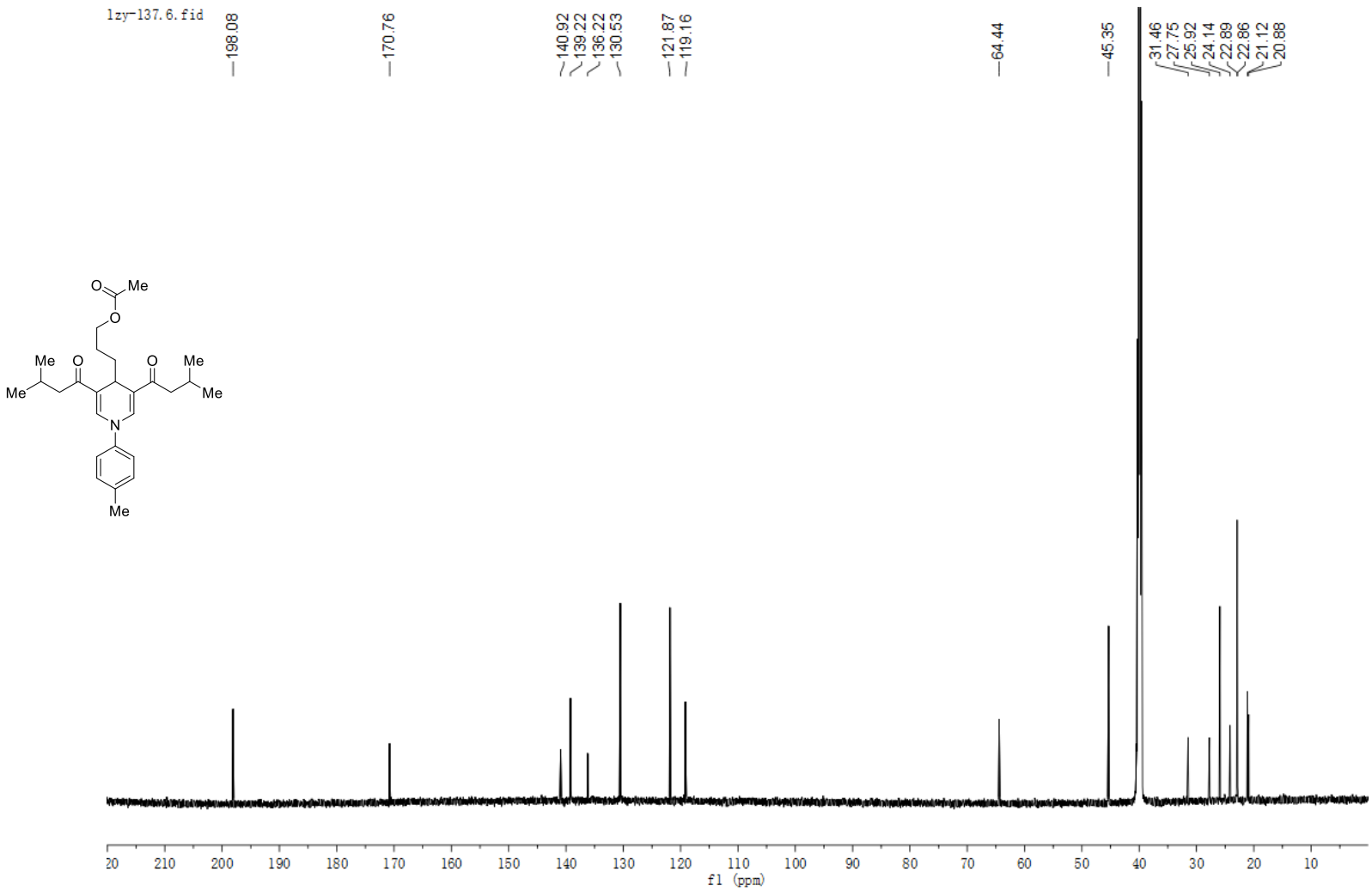


Figure S44. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4v**

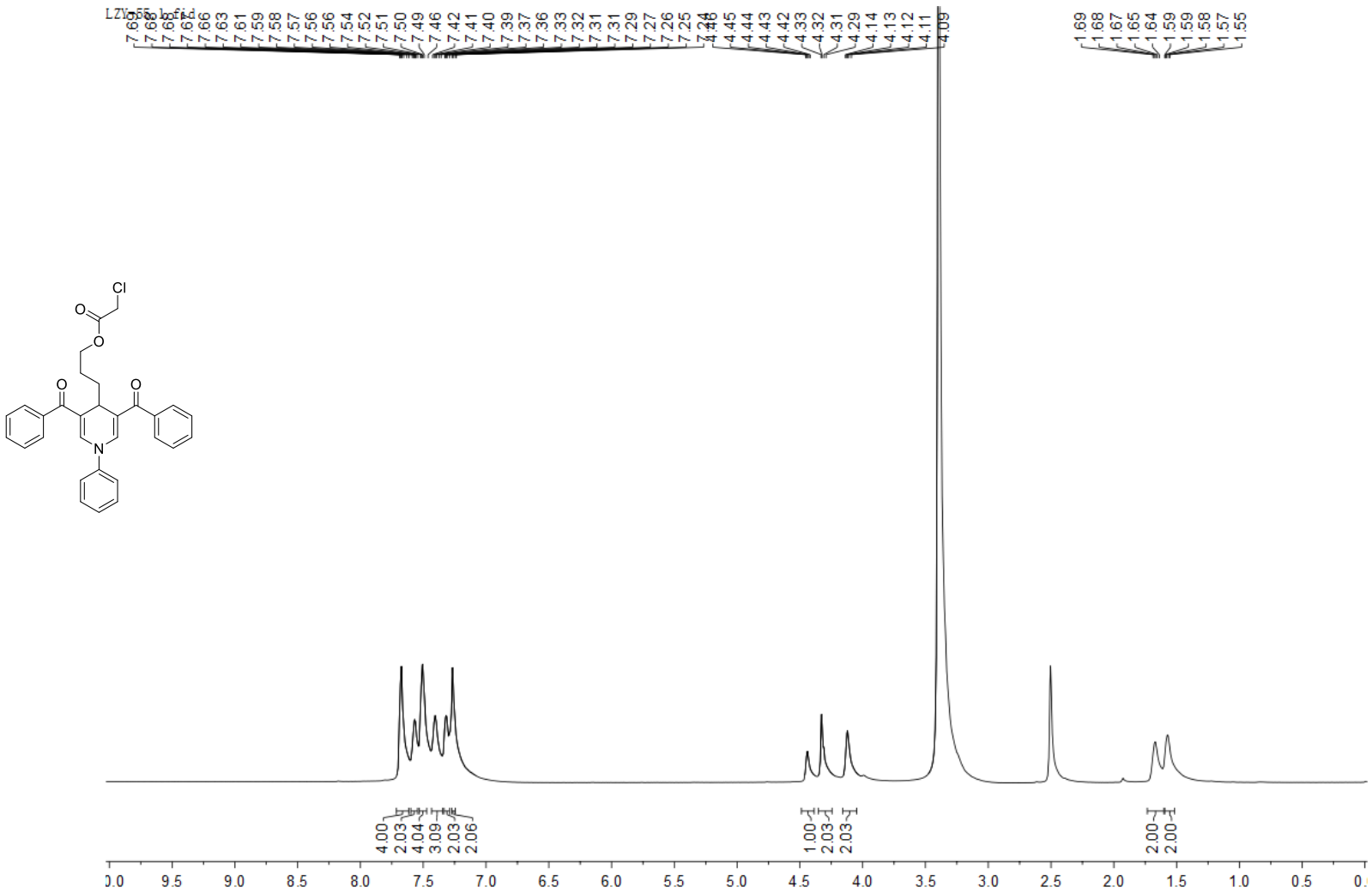


Figure S45. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4w**

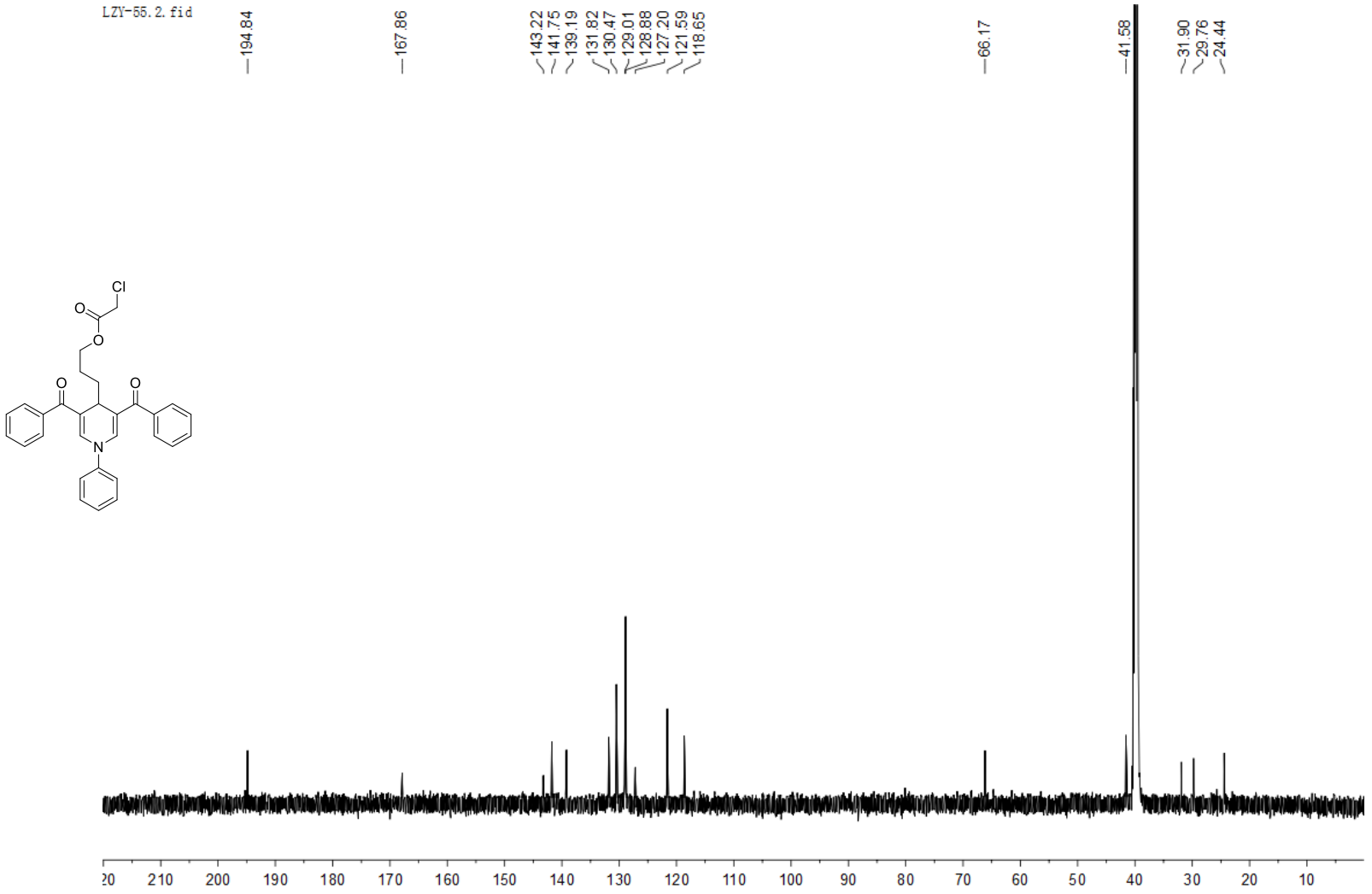


Figure S46. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4w**

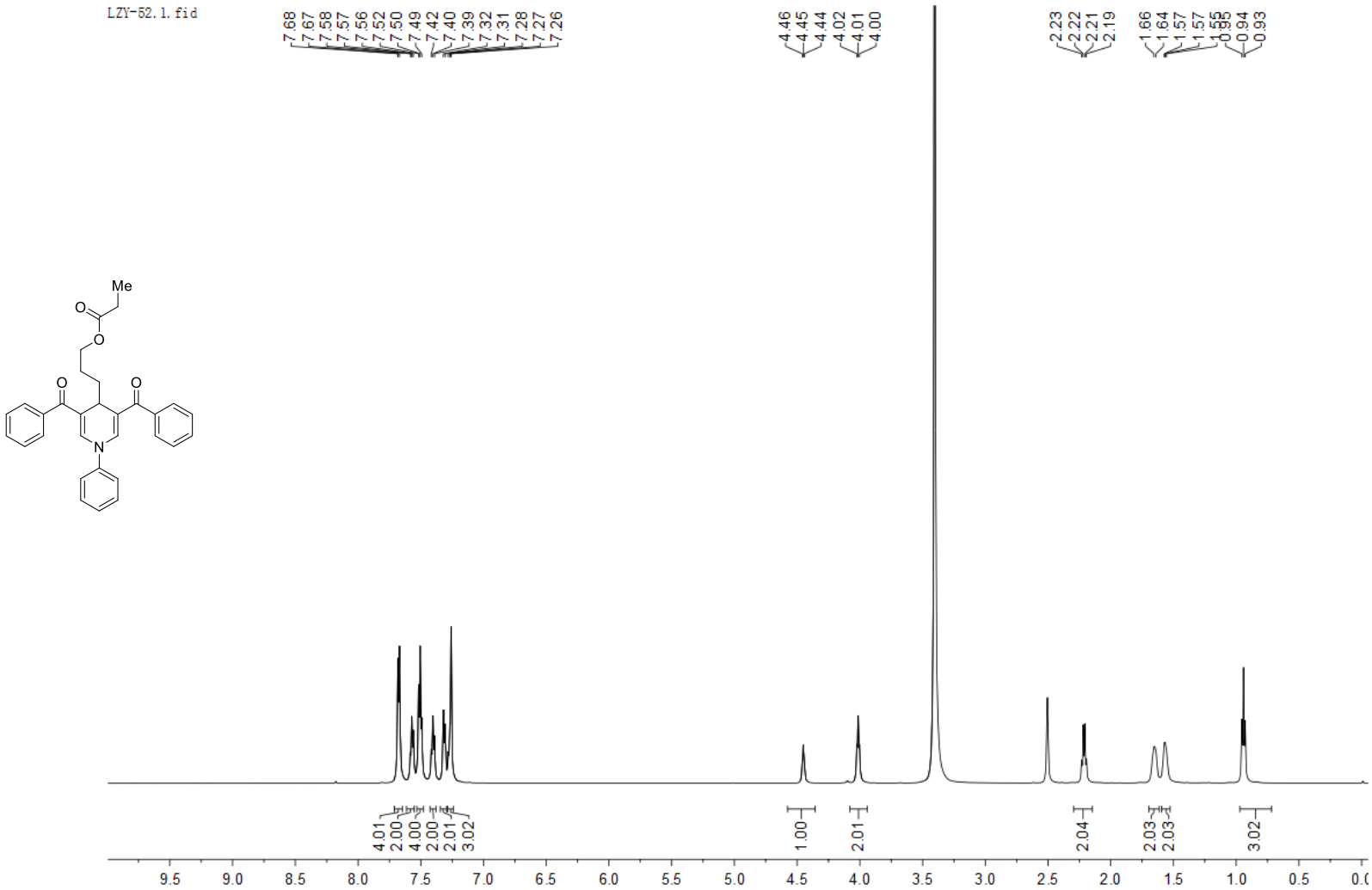


Figure S47. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4x**

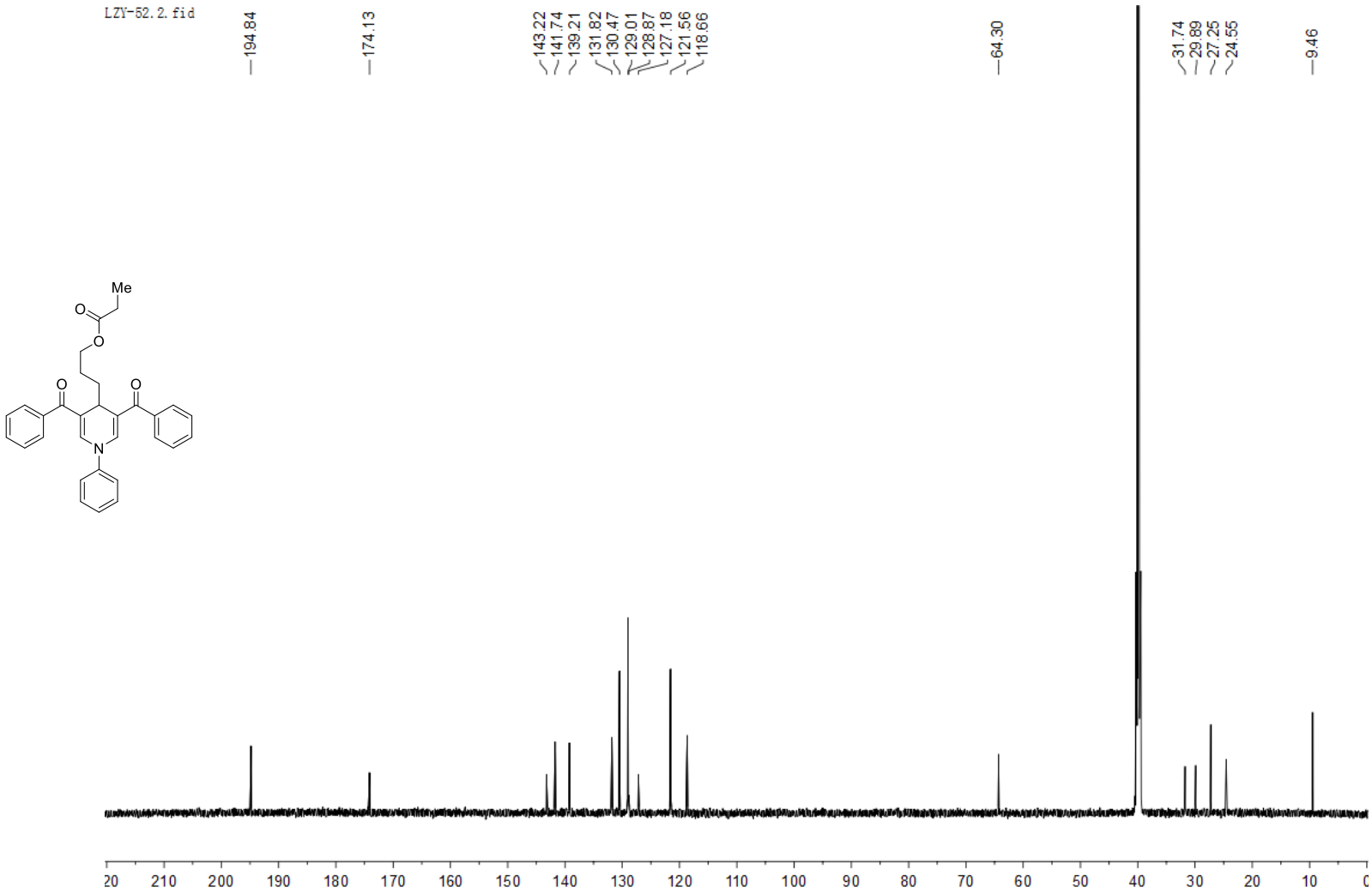


Figure S48. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4x**

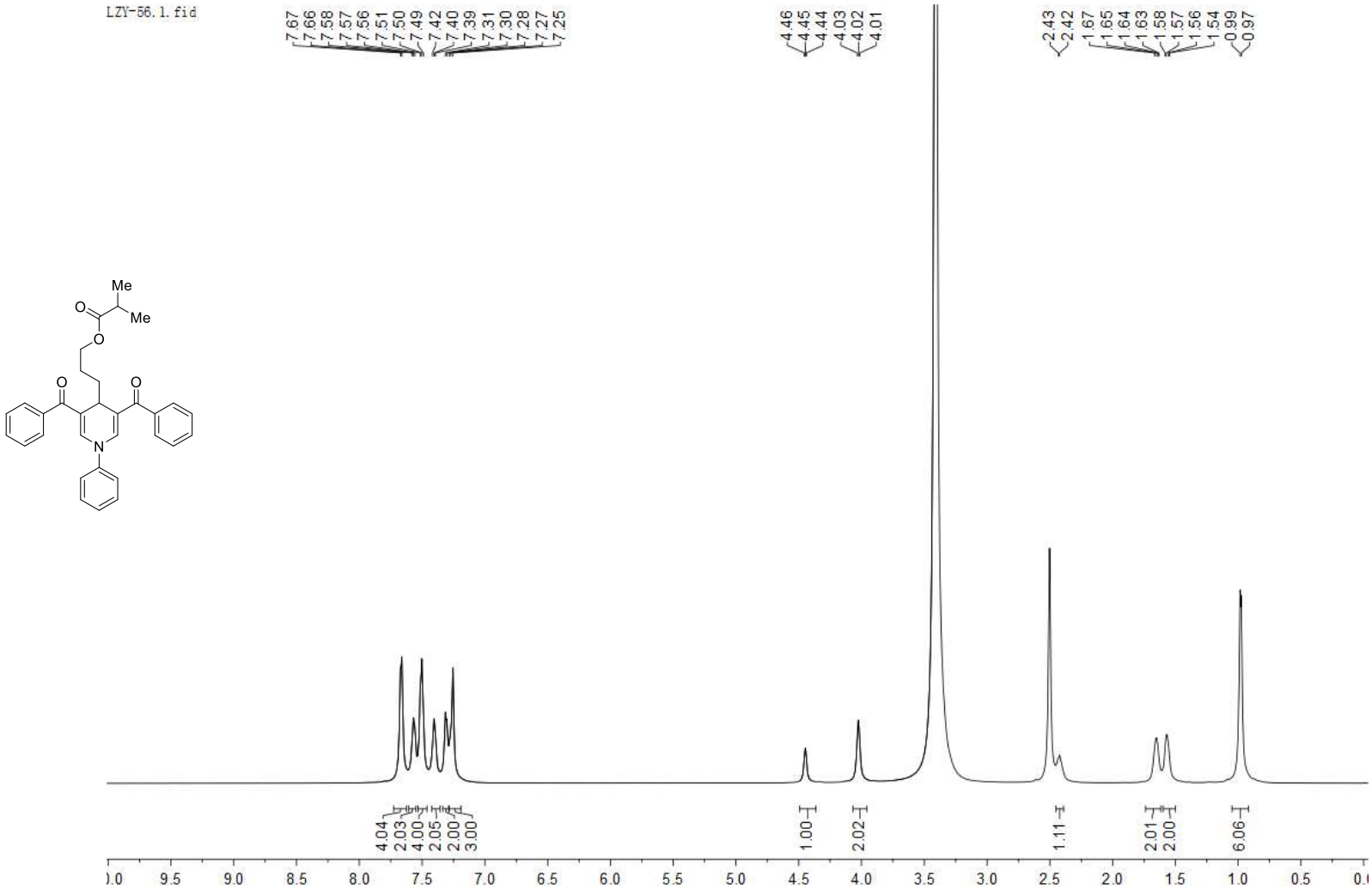


Figure S49. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4y**

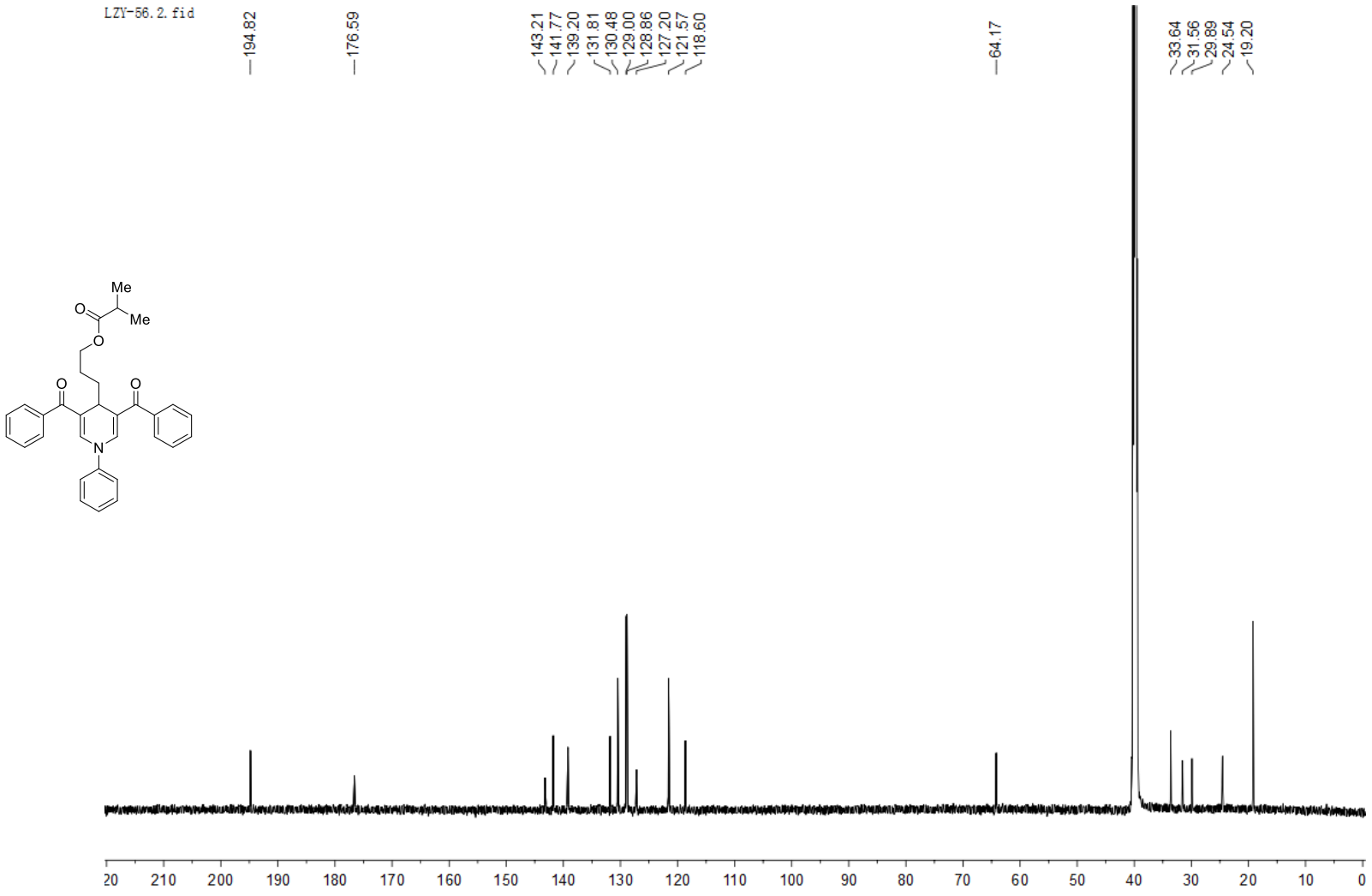


Figure S50. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4y**

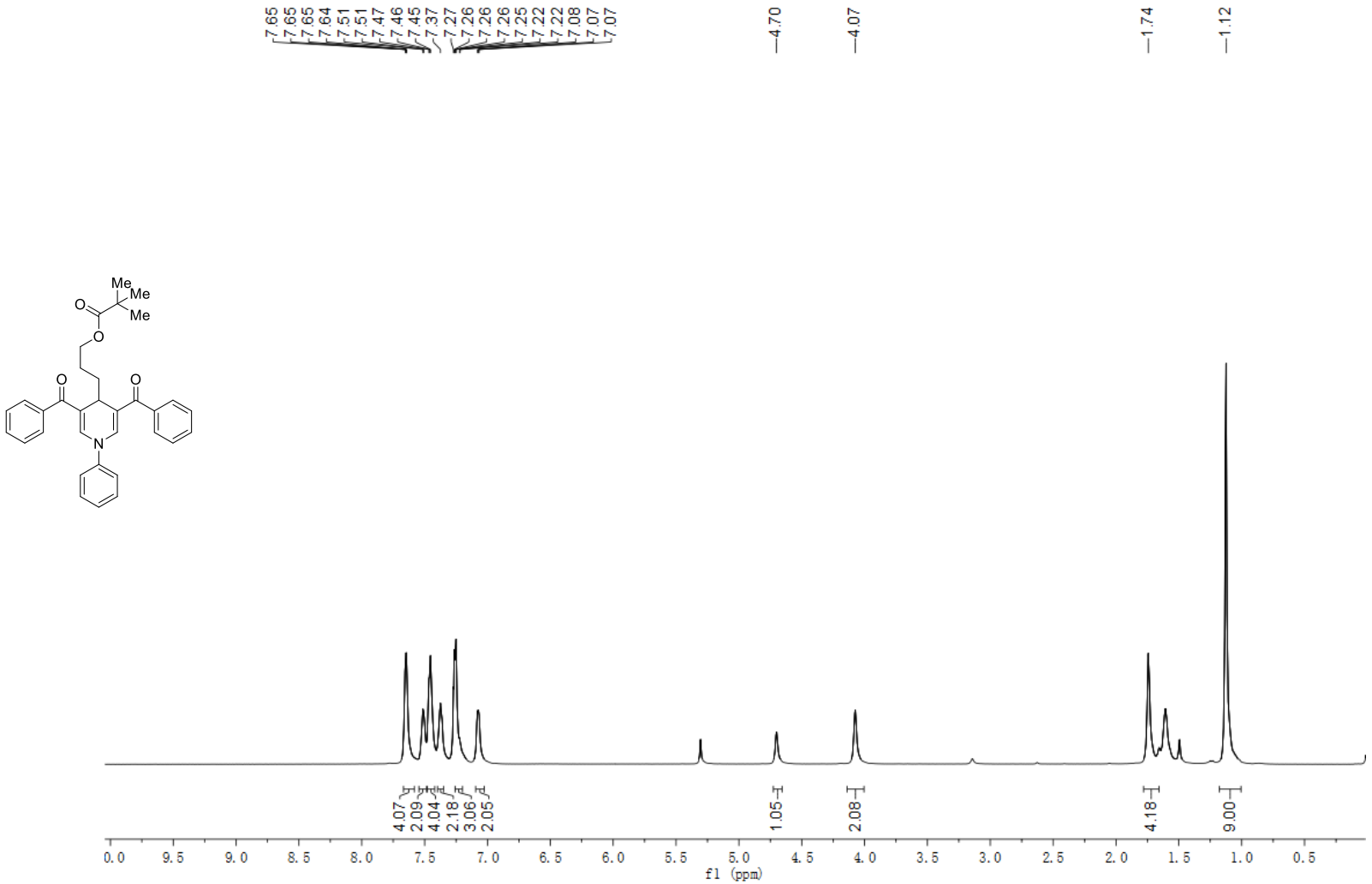


Figure S51. ^{1}H NMR (600 MHz, $CDCl_3$) spectra of compound **4z**

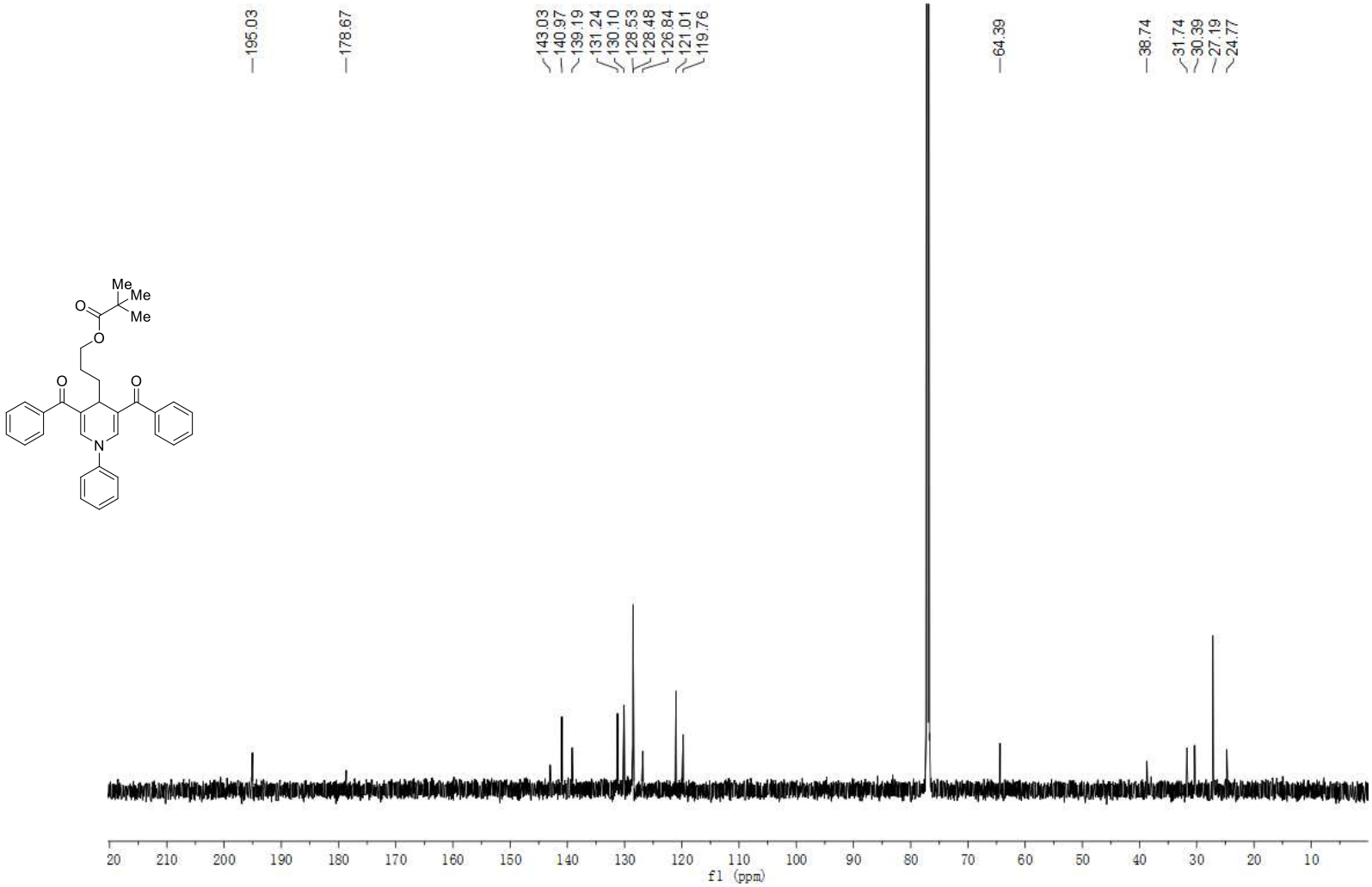


Figure S52. ^{13}C NMR (150 MHz, $CDCl_3$) spectra of compound **4z**

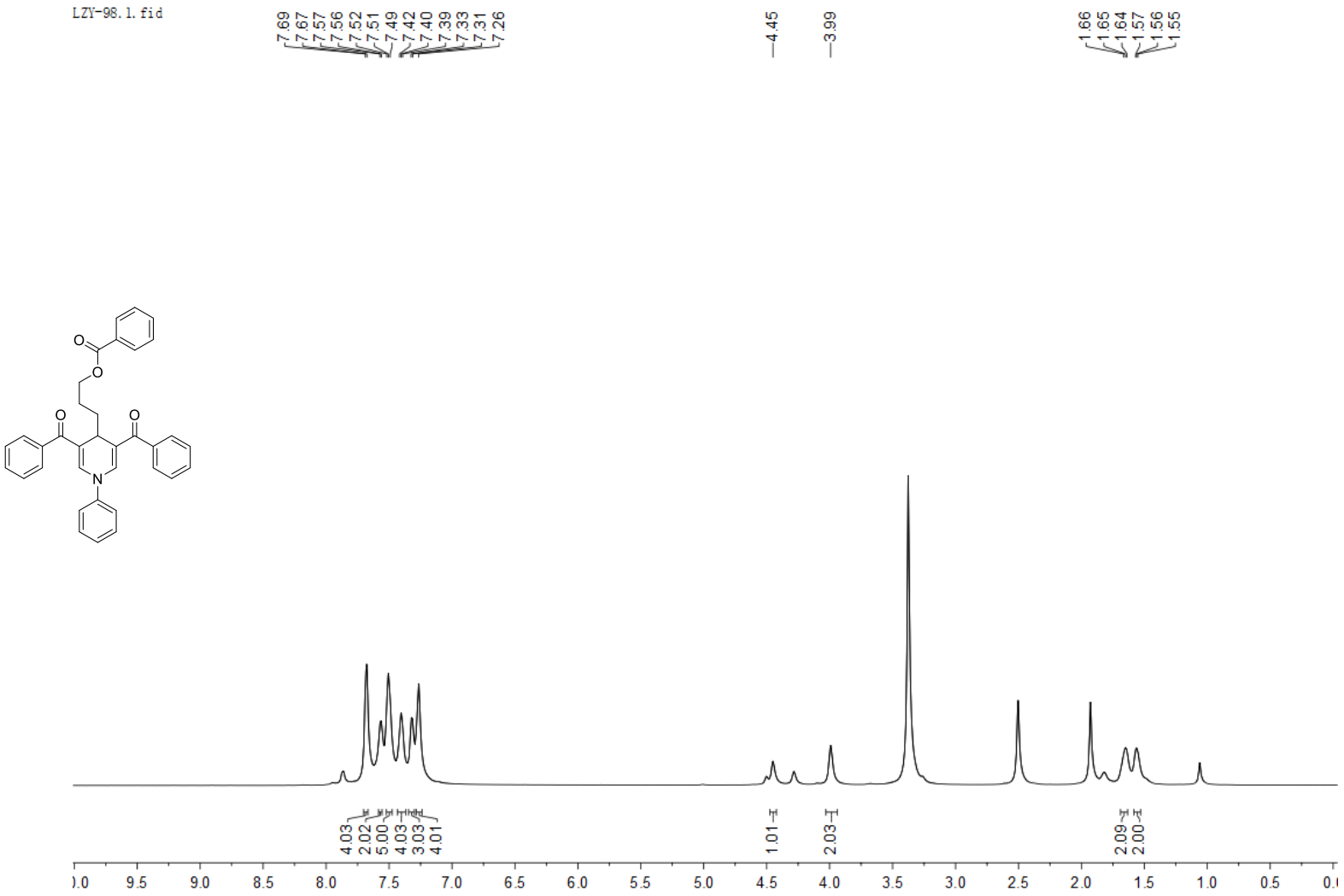


Figure S53. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4a'**

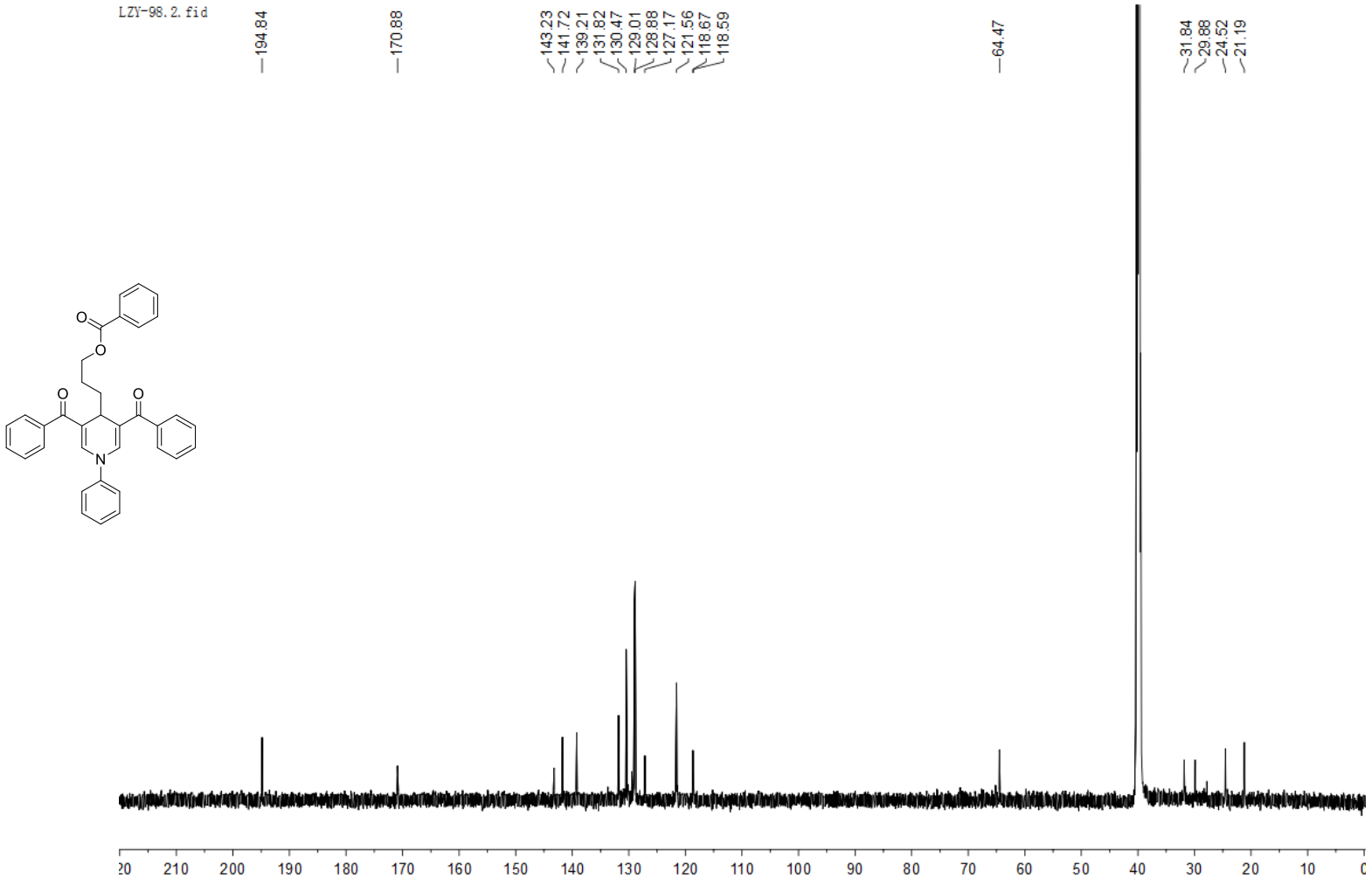


Figure S54. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4a'**

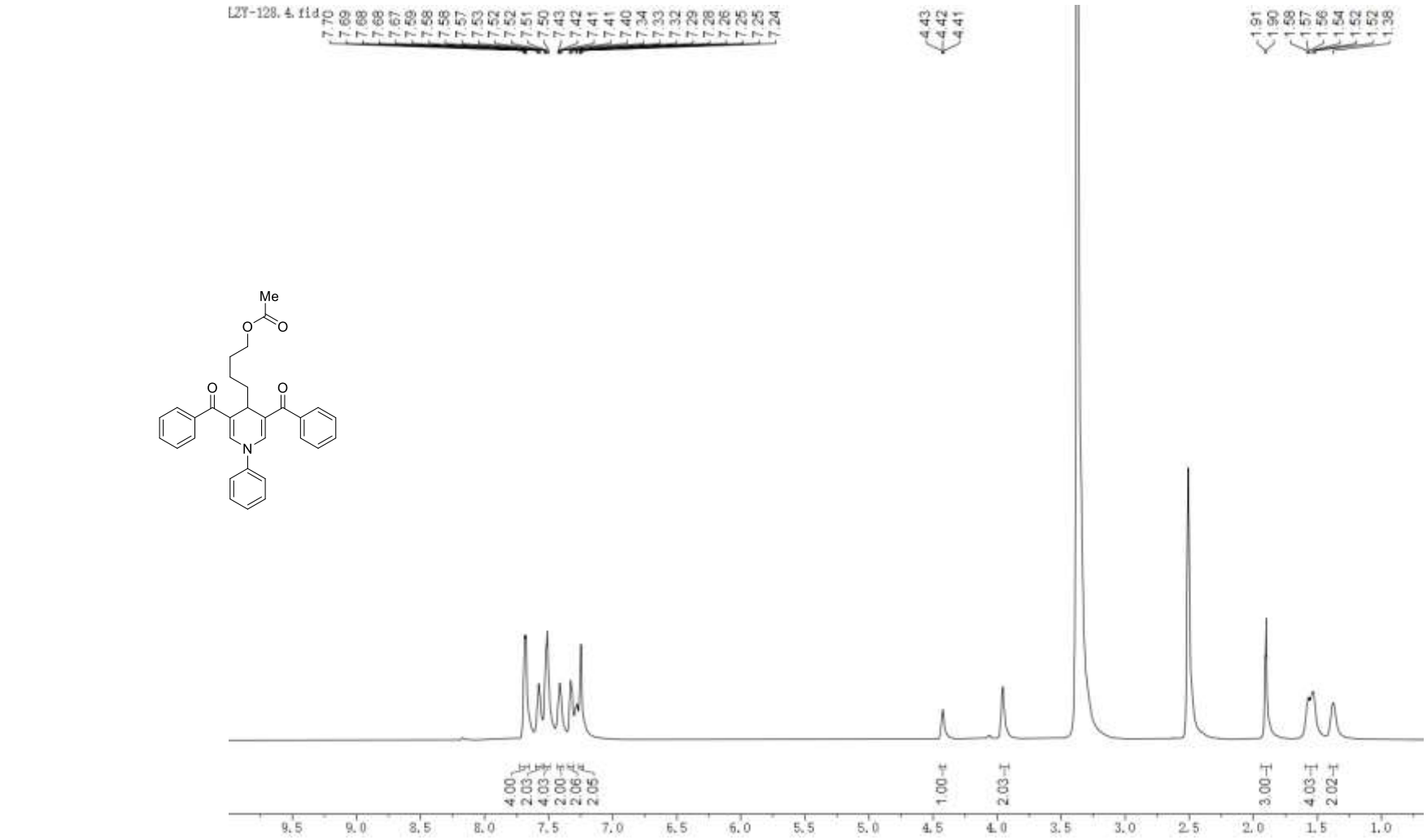


Figure S55. ^{1}H NMR (600 MHz, DMSO-d_6) spectra of compound **4b'**

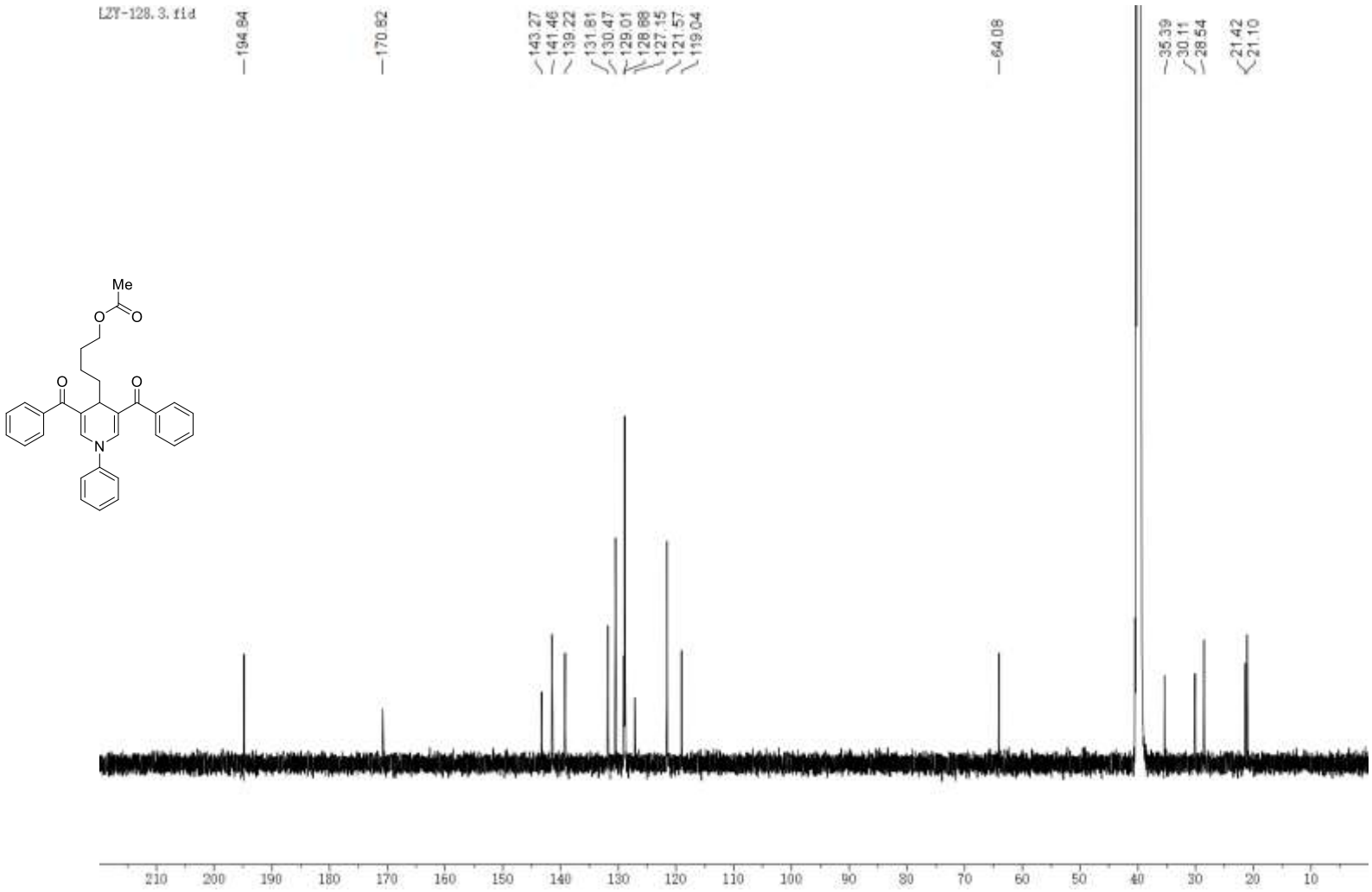


Figure S56. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **4b'**

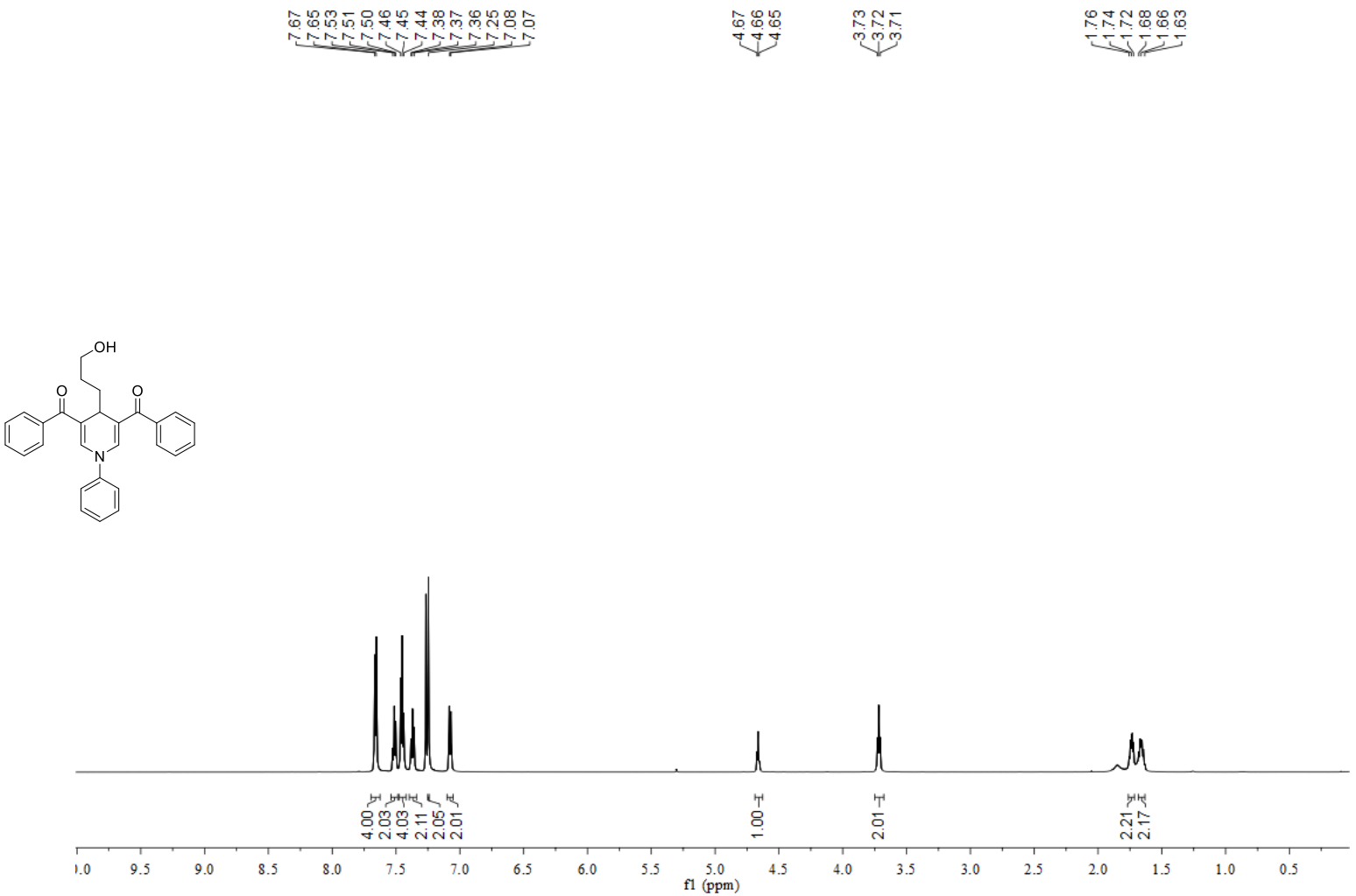


Figure S57. ^{1}H NMR (600 MHz, $CDCl_3$) spectra of compound **5**

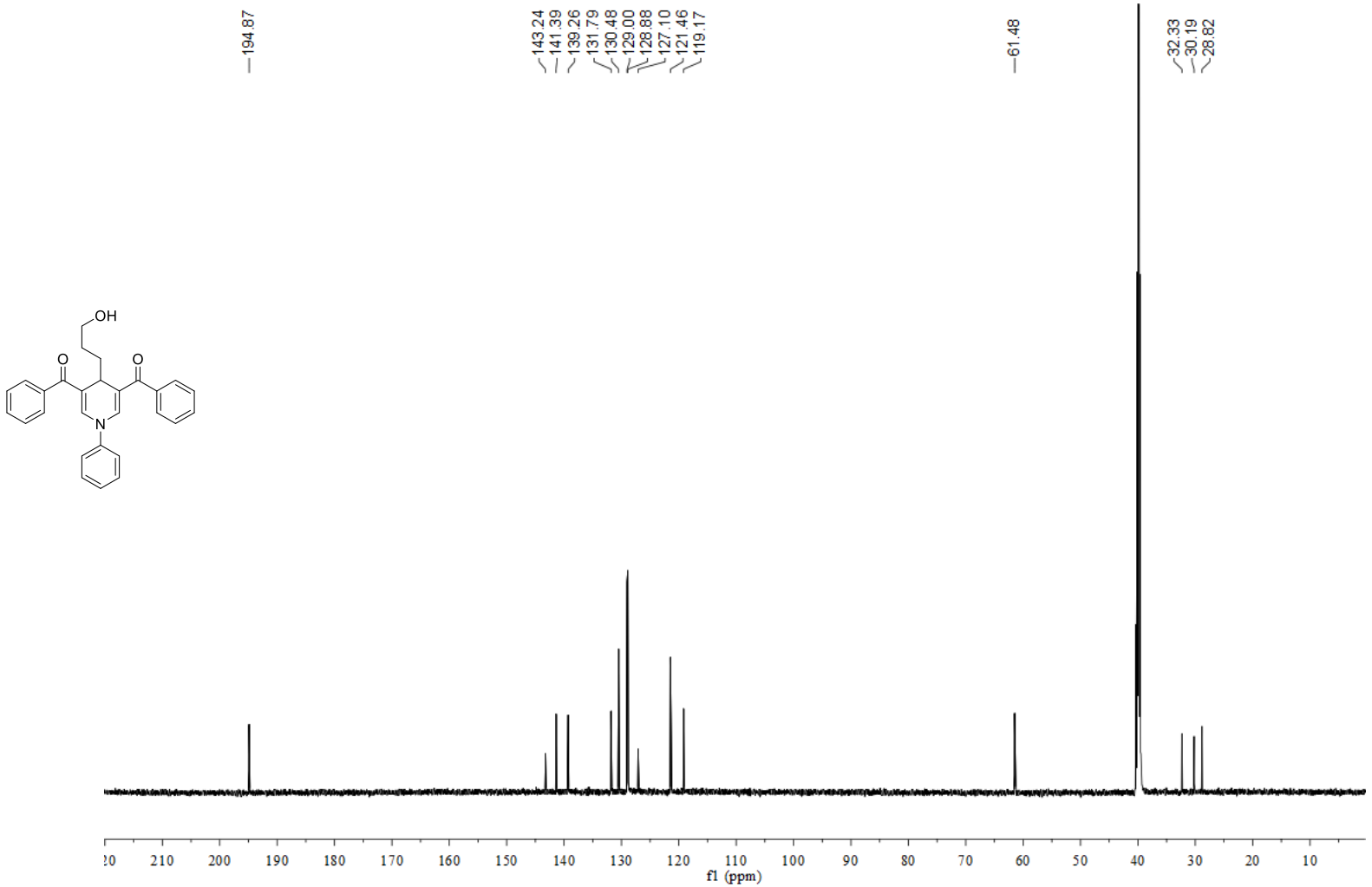


Figure S58. ^{13}C NMR (150 MHz, DMSO-d_6) spectra of compound **5**

5. References and notes.

1. (*a*) Y. Liu, R. Zhou, J.-P. Wan. *Synth. Commun.* **2013**, *43*, 2475; (*b*) Z.-Z. Zhou, F.-S. Liu, D.-S. Shen, C. Tan, L.-Y. Luo. *Inorg. Chem. Commun.* **2011**, *14*, 659; (*c*) N. A. Larina, V. Lokshin, J. Berthet, S. Delbaere, G. Vermeersch, V. Khodorkovsky, *Tetrahedron* **2010**, *66*, 8291; (d) P. Zhou, B. Hu, K. Rao, L. Li, J. Yang, C. Gao, F. Wang, F. Yu. *Synlett*, **2018**, *29*, 519.