Supporting Information

[Bis-(trifluoroacetoxy)iodo]benzene-mediated Oxidative Intramolecular Cyclization of 1-Aroyl-*N*-arylcyclopropane-1-carboxamides and its Application to the Synthesize of Pyrrolo[3,2-*c*]quinolinones

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I. General Information.

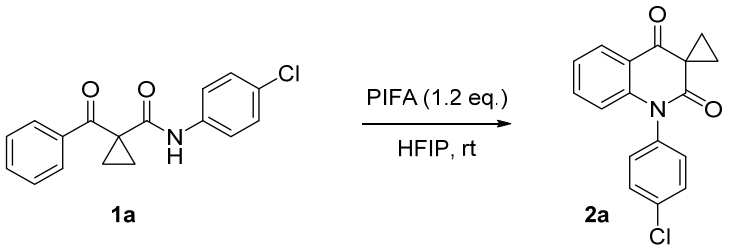
All reactions were carried out at room temperature, unless otherwise indicated. All reagents were purchased from commercial sources and used without further treatment, unless otherwise indicated. Compound **1** is prepared following the literature.[1] Petroleum ether (PE) used refers to the 60–90 ^{o}C boiling point fraction of petroleum. ^{1}H NMR and ^{13}C NMR spectra were recorded on a 400 MHz NMR spectrometer (^{1}H, 400 MHz; ^{13}C{^{1}H}, 100 MHz at 25 °C). Coupling constants are reported in Hz. All high-resolution mass spectra (HRMS) were measured on a mass spectrometer (ESI-oa-TOF). Melting points were measured on a melting point apparatus equipped with a thermometer and are uncorrected. All reactions were monitored by TLC with GF254 silica gel coated plates. Flash chromatography was carried out on SiO_2 (silica gel 200-300 mesh).

Reference:

[1] Zhang, Z.; Zhang, Q.; Sun, S.; Xiong, T.; Liu, Q. *Angew. Chem. Int. Ed.*, **2007**, *46*, 1726.

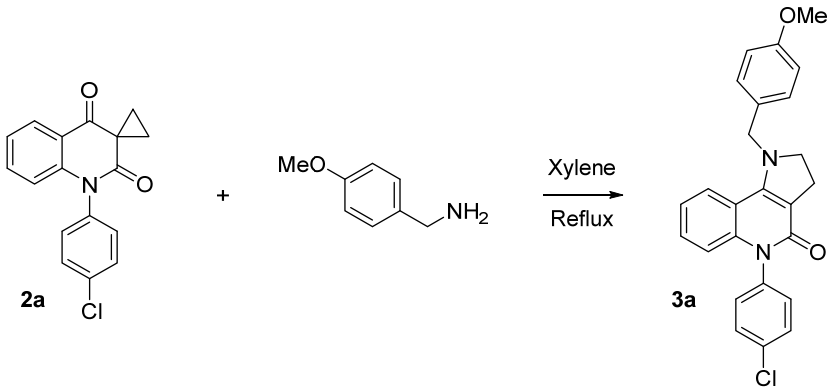
II. General procedure for the synthesis of **2** and **3**

General procedure for the synthesis of **2** (**2a** as an example):



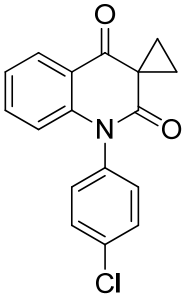
To a round-bottom flask (25 mL) was added 1-benzoyl-*N*-(4-chlorophenyl)cyclopropanecarboxamide **1a** (59.8 mg, 0.2 mmol) and PIFA (103 mg, 0.24 mmol), and the mixture was well stirred in HFIP (2 mL) at room temperature (the whole process was closely monitored by TLC). After 2 h, the residue was purified by a short flash silica gel column chromatography (Eluent: EtOAc/petroleum ether = 1/20) to give 1'-(4-chlorophenyl)-2'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'(1'*H*)-dione **2a** as white solid (56 mg, 94%).

General procedure for the synthesis of **3** (**3a** as an example):

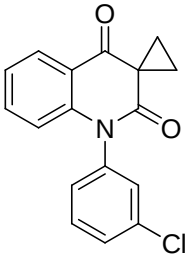


To a round-bottom flask (25 mL) was added 1'-(4-chlorophenyl)-2'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'(1'*H*)-dione (59.4 mg, 0.2 mmol), (4-methoxyphenyl)methanamine (31.4 μL, 0.24 mmol), and the mixture was well stirred for 8 h in xylene (2 mL) at reflux (the whole process was closely monitored by TLC). After cooling, the solvent was evaporated in vacuum and the residue was washed by ether (1 mL × 2) to give 5-(4-chlorophenyl)-1-(4-methoxybenzyl)-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one **3a** as light yellow solid (71 mg, 85%).

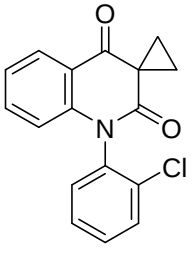
III. Analytical data of compounds **2**.



1'-(4-Chlorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2a). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (56 mg, 94%): mp 149-151 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, J = 8.0 Hz, 1H, ArH), 7.55 (d, J = 8.8 Hz, 2H, ArH), 7.48-7.39 (m, 1H, ArH), 7.23 (d, J = 8.8 Hz, 2H, ArH), 7.18 (t, J = 7.6 Hz, 1H, ArH), 6.52 (d, J = 8.4 Hz, 1H, ArH), 2.15-2.06 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 170.3 (N-C=O), 144.4 (Ar), 135.7 (Ar), 135.5 (Ar), 135.0 (Ar), 130.5 (Ar), 130.52 (Ar), 127.1 (Ar), 123.2 (Ar), 120.0 (Ar), 116.7 (Ar), 35.2 (C), 29.9 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{12}ClNO_2$ ($[M+H]^+$) 298.0629, found: 298.0631.

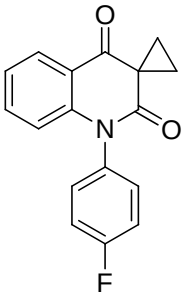


1'-(3-Chlorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2b). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (56 mg, 95%): mp 128-130 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, J = 7.6 Hz, 1H, ArH), 7.55-7.49 (m, 2H, ArH), 7.47-7.43 (m, 1H, ArH), 7.32 (s, 1H, ArH), 7.22-7.17 (m, 2H, ArH), 6.52 (d, J = 8.4 Hz, 1H, ArH), 2.16-2.08 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 170.2 (N-C=O), 144.3 (Ar), 138.4 (Ar), 135.8 (Ar), 135.5 (Ar), 131.2 (Ar), 129.6 (Ar), 129.4 (Ar), 127.5 (Ar), 127.1 (Ar), 123.3 (Ar), 120.0 (Ar), 116.7 (Ar), 35.3 (C), 30.02 (CH_2), 29.96 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{12}ClNO_2$ ($[M+H]^+$) 298.0629, found: 298.0635.

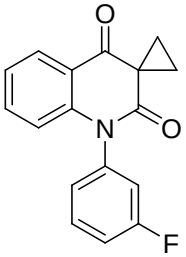


1'-(2-Chlorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2c). The product was

isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (49 mg, 82%): mp 140-142 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.11 (d, J = 7.8 Hz, 1H, ArH), 7.65-7.61 (m, 1H, ArH), 7.49-7.43 (m, 3H, ArH), 7.38-7.34 (m, 1H, ArH), 7.19 (t, J = 7.5 Hz, 1H, ArH), 6.41 (d, J = 7.8 Hz, 1H, ArH), 2.17-2.11 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 169.8 (N-C=O), 143.6 (Ar), 135.7 (Ar), 134.8 (Ar), 133.6 (Ar), 131.0 (Ar), 130.5 (Ar), 128.6 (Ar), 127.2 (Ar), 123.3 (Ar), 120.0 (Ar), 116.0 (Ar), 35.2 (C), 30.1 (CH_2), 29.2 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{12}ClNO_2$ ($[M+H]^+$) 298.0629, found: 298.0636.

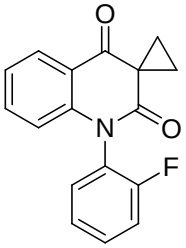


1'-(4-Fluorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2d). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (47 mg, 83%): mp 163-165 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.09 (d, J = 7.8 Hz, 1H, ArH), 7.46-7.41 (m, 1H, ArH), 7.27 (d, J = 6.0 Hz, 4H, ArH), 7.18 (t, J = 7.8 Hz, 1H, ArH), 6.52 (d, J = 8.4 Hz, 1H, ArH), 6.52 (d, J = 8.4 Hz, 1H), 2.14 -2.08 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.7 (C=O), 170.5 (N-C=O), 162.5 (d, J_{C-F} = 247.5 Hz, Ar), 144.7 (Ar), 135.5 (Ar), 133.1 (d, J_{C-F} = 3.4 Hz, Ar), 130.9 (d, J_{C-F} = 8.7 Hz, Ar), 127.1 (Ar), 123.2 (Ar), 120.0 (Ar), 117.3 (d, J_{C-F} = 22.7 Hz, Ar), 116.7 (Ar), 35.3 (C), 29.9 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{12}FNO_2$ ($[M+Na]^+$) 304.0744, found: 304.0757.

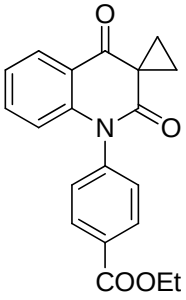


1'-(3-Fluorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2e). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (50 mg, 88%): mp 103-105 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, J = 7.6 Hz, 1H, ArH), 7.56 (dd, J = 15.2, 7.6 Hz, 1H, ArH), 7.45 (t, J = 7.6 Hz, 1H, ArH), 7.20 (dd, J = 18.0, 10.0 Hz, 2H, ArH), 7.10 (d, J = 8.0 Hz, 1H, ArH), 7.04 (d, J = 8.8 Hz, 1H, ArH), 6.53 (d, J = 8.4 Hz, 1H, ArH), 2.13-2.11 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 170.2 (N-C=O), 163.5 (d, J_{C-F} = 247.8 Hz, Ar), 144.3 (Ar), 138.6 (d, J_{C-F} = 9.8 Hz, Ar), 135.5 (Ar), 131.5 (d, J_{C-F} = 8.9 Hz, Ar), 127.1 (Ar), 124.9 (d,

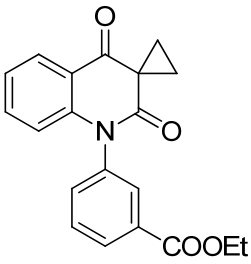
$J_{C\text{-}F}$ = 3.4 Hz, Ar), 123.3 (Ar), 119.9 (Ar), 116.9 (Ar), 116.7 (Ar), 116.7 (Ar), 116.3 (d, $J_{C\text{-}F}$ = 20.7 Hz, Ar), 35.3 (C). 30.06 (CH_2), 30.02 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{12}FNO_2$ ($[M+Na]^+$) 304.0744, found: 304.0760.



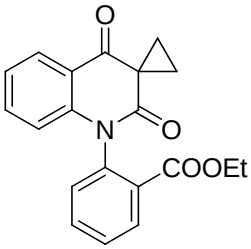
1'-(2-Fluorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2f). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (24 mg, 43%): mp 150-152 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.09 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H, ArH), 7.54-7.48 (m, 1H, ArH), 7.47-7.43 (m, 1H, ArH), 7.37-7.28 (m, 3H, ArH), 7.21-7.17 (m, 1H, ArH), 6.56 (d, *J* = 8.4 Hz, 1H, ArH), 2.15-2.09 (m, 4H, CH_2-CH_2). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 170.0 (N-C=O), 158.5 (d, $J_{C\text{-}F}$ = 250.3 Hz, Ar), 143.9 (Ar), 135.6 (Ar), 131.1 (d, $J_{C\text{-}F}$ = 7.8 Hz, Ar), 131.0 (Ar), 127.2 (Ar), 125.4 (d, $J_{C\text{-}F}$ = 3.9 Hz, Ar), 124.6 (d, $J_{C\text{-}F}$ = 13.5 Hz, Ar), 123.3 (Ar), 120.1 (Ar), 117.2 (d, $J_{C\text{-}F}$ = 19.6 Hz, Ar), 116.1 (Ar), 35.2 (C), 30.0 (CH_2), 29.7 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{12}FNO_2$ ($[M+Na]^+$) 304.0744, found: 304.0759.



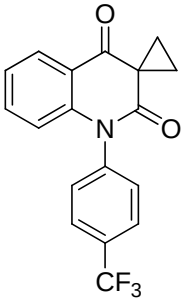
Ethyl 4-(2',4'-dioxo-2',4'-dihydro-1'*H*-spiro[cyclopropane-1,3'-quinolin]-1'-yl)benzoate (2g). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (56 mg, 83%): mp 99-101 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.27-8.25 (m, 2H, ArH), 8.09 (d, *J* =7.6 Hz, 1H, ArH), 7.43-7.37 (m, 3H, ArH), 7.18 (t, *J* = 7.6 Hz, 1H, ArH), 6.47 (d, *J* = 8.4 Hz, 1H, ArH), 4.43 (q, *J* = 7.2 Hz, 2H, CH_2), 2.15-2.08 (m, 4H, CH_2-CH_2), 1.42 (t, *J* = 7.2 Hz, 3H, CH_3). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 170.1 (N-C=O), 165.6 (O-C=O), 144.2 (Ar), 141.4 (Ar), 135.5 (Ar), 131.6 (Ar), 131.1 (Ar), 129.3 (Ar), 127.1 (Ar), 123.3 (Ar), 120.0 (Ar), 116.6 (Ar), 61.3 (OCH_2), 35.3 (C), 29.9 (CH_2), 14.3 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{20}H_{17}NO_4$ ($[M+Na]^+$) 358.1050, found: 358.1062.



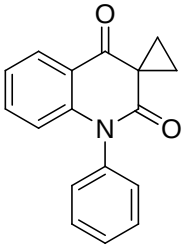
Ethyl 3-(2',4'-dioxo-2',4'-dihydro-1'*H*-spiro[cyclopropane-1,3'-quinolin]-1'-yl)benzoate (2h). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (48 mg, 71%): mp 133-135 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, J = 8.0, 1H, ArH), 8.11 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H, ArH), 7.98 (t, J = 1.6 Hz, 1H, ArH), 7.67 (t, J = 7.8 Hz, 1H, ArH), 7.51-7.48 (m, 1H, ArH), 7.45- 7.40 (m, 1H, ArH), 7.18 (m, 1H, ArH), 6.47 (d, J = 8.0 Hz, 1H, ArH), 4.40 (q, J = 7.2 Hz, 2H, CH_2), 2.17-2.08 (m, 4H, CH_2-CH_2), 1.39 (t, J = 7.2 Hz, 3H, CH_3). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 170.3 (N-C=O), 165.4 (O-C=O), 144.4 (Ar), 137.5 (Ar), 135.5 (Ar), 133.7 (Ar), 132.9 (Ar), 130.4 (Ar), 130.1 (Ar), 127.1 (Ar), 123.2 (Ar), 120.0 (Ar), 116.7 (Ar), 61.4 (OCH_2), 35.3 (C), 30.0 (CH_2), 29.8 (CH_2), 14.3 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{20}H_{17}NO_4$ ($[M+Na]^+$) 358.1050, found: 358.1056.



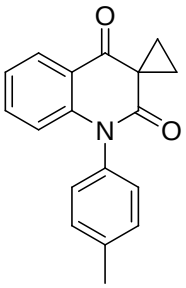
Ethyl 2-(2',4'-dioxo-2',4'-dihydro-1'*H*-spiro[cyclopropane-1,3'-quinolin]-1'-yl)benzoate (2i). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (28 mg, 42%): mp 81-82 ^{o}C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.25 (d, J = 7.8Hz, 1H, ArH), 8.09 (dd, J = 7.8, 1.2 Hz, 1H, ArH), 7.76-7.73 (m, 1H, ArH), 7.62-7.59 (m, 1H, ArH), 7.41-7.37 (m, 1H, ArH), 7.35 (t, J = 7.8, 0.6 Hz, 1H, ArH), 7.15 (t, J = 7.2 Hz, 1H, ArH), 6.37 (d, J = 8.4 Hz, 1H, ArH), 4.12 (q, J = 7.1 Hz, 2H, CH_2), 2.14-2.07 (m, 4H, CH_2-CH_2), 1.07 (t, J = 7.2 Hz, 3H, CH_3). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.0 (C=O), 170.5 (N-C=O), 164.5 (O-C=O), 144.9 (Ar), 137.3 (Ar), 135.5 (Ar), 134.2 (Ar), 132.9 (Ar), 131.0 (Ar), 129.3 (Ar), 127.0 (Ar), 122.9 (Ar), 119.9 (Ar), 116.2 (Ar), 61.3 (OCH_2), 35.3 (C), 29.7 (CH_2), 29.3 (CH_2), 13.9 (CH_3). HRMS (ESI), m/z calcd. for $C_{20}H_{17}NO_4$ ($[M+Na]^+$) 358.1050, found: 358.1071.



1'-(4-(Trifluoromethyl)phenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2j). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (52 mg, 78%): mp: 144-146 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (d, J = 7.6 Hz, 1H, ArH), 7.86 (d, J = 8.0 Hz, 2H, ArH), 7.45 (d, J = 8.4 Hz, 3H, ArH), 7.20 (t, J = 7.4 Hz, 1H, ArH), 6.47 (d, J = 8.4 Hz, 1H, ArH), 2.13 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.5 (C=O), 170.2 (N-C=O), 144.1 (Ar), 140.6 (Ar), 135.5 (Ar), 131.4 (Ar), 131.1 (Ar), 129.9 (Ar), 127.4 (q, $J_{C\text{-}F}$ = 3.4 Hz, Ar), 127.2 (Ar), 123.4 (Ar), 120.0 (Ar), 116.5 (Ar), 35.3 (C), 30.1 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{18}H_{12}F_3NO_2$ ([M+Na]$^+$) 354.0712, found: 354.0722.

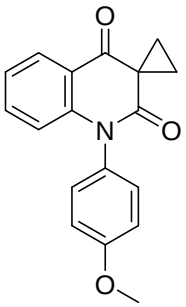


1'-Phenyl-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2k). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (18 mg, 35%): mp 96-98 ^{o}C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.08 (dd, J_1 = 7.8 Hz, J_1 = 1.8 Hz, 1H, ArH), 7.58 (t, J = 7.5 Hz, 2H, ArH), 7.51 (t, J = 7.5 Hz, 1H, ArH), 7.43-7.40 (m, 1H, ArH), 7.29-7.27 (m, 2H, ArH), 7.17-7.15 (m, 1H, ArH), 6.52 (d, J = 8.4 Hz, 1H, ArH), 2.13-2.10 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.9 (C=O), 170.4 (N-C=O), 144.8 (Ar), 137.3 (Ar), 135.4 (Ar), 130.3 (Ar), 129.1 (Ar), 129.0 (Ar), 127.0 (Ar), 123.0 (Ar), 120.0 (Ar), 116.9 (Ar), 35.3 (C), 29.9 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{13}NO_2$ ([M+Na]$^+$) 286.0838, found: 286.0848.



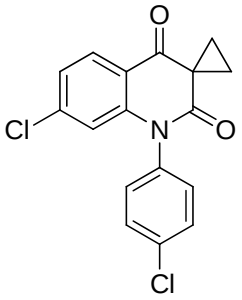
1'-(*p*-Tolyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2l). The product was isolated by

flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (28 mg, 51%): mp 146-148 ^{o}C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.07 (d, J = 7.8 Hz, 1H, ArH), 7.42-7.39 (m, 1H, ArH), 7.37 (d, J = 7.8 Hz, 2H, ArH), 7.15 (dd, J = 8.4, 6.3 Hz, 3H, ArH), 6.56 (d, J = 8.4 Hz, 1H, ArH), 2.45 (s, 3H, CH_3), 2.13-2.08 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.0 (C=O), 170.4 (N-C=O), 145.0 (Ar), 139.0 (Ar), 135.4 (Ar), 134.6 (Ar), 131.0 (Ar), 128.7 (Ar), 126.9 (Ar), 122.9 (Ar), 120.0 (Ar), 117.0 (Ar), 35.3 (C), 29.9 (CH_2), 21.3 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{18}H_{15}NO_2$ ([M+Na]$^{+}$) 300.0995, found: 300.1007.



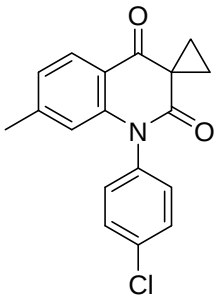
1'-(4-methoxyphenyl)-2'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'(1'*H*)-dione (2o)

The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a light yellow solid (8 mg, 13%): mp 76-78 ^{o}C; 1H NMR (600 MHz, $CDCl_3$) δ 7.87 (d, J = 8.4 Hz, 2H, ArH), 7.50 (d, J = 8.4 Hz, 1H, ArH), 7.47-7.44 (m, 1H, ArH), 7.34 (t, J = 7.8 Hz, 2H, ArH), 6.89-6.86 (m, 2H, ArH), 3.79 (s, 3H, CH_3), 1.87-1.81 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 195.2 (C=O), 164.8 (N-C=O), 158.0 (Ar), 151.7 (Ar), 136.8 (Ar), 134.6 (Ar), 132.9 (Ar), 128.7 (Ar), 128.5 (Ar), 119.6 (Ar), 112.6 (Ar), 95.4 (Ar), 55.9 (CH_3O), 29.4 (C), 17.3 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{18}H_{15}NO_3$ ([M+Na]$^{+}$) 316.0944, found: 316.0944.

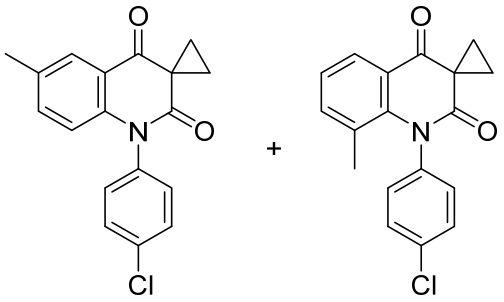


7'-Chloro-1'-(4-chlorophenyl)-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2r). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (36 mg, 54%): mp 268-278 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, J = 2.4 Hz, 1H, ArH), 7.57-7.51 (m, 2H, ArH), 7.36 (dd, J = 9.2, 2.8 Hz, 1H, ArH), 7.23-7.20 (m, 2H, ArH), 6.49 (d, J = 8.8 Hz, 1H, ArH), 2.17-2.10 (m, 1H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 190.6 (C=O), 170.0 (N-C=O), 142.9 (Ar), 135.4 (Ar), 135.3 (Ar), 135.2 (Ar), 130.7 (Ar), 130.4 (Ar), 129.2 (Ar), 126.6

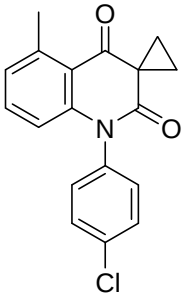
(Ar), 120.9 (Ar), 118.3 (Ar), 35.4 (C), 30.5 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{17}H_{11}Cl_2NO_2$ ($[M+Na]^+$) 354.0059, found: 354.0068.



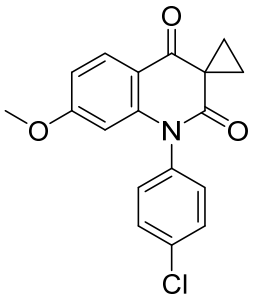
1'-(4-Chlorophenyl)-7'-methyl-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2s). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (55 mg, 88%): mp 248-250 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, J = 2.0 Hz, 1H, ArH), 7.56-7.52 (m, 2H, ArH), 7.25-7.20 (m, 3H, ArH), 6.42 (d, J = 8.4 Hz, 1H, ArH), 2.35 (s, 3H, CH_3), 2.12-2.04 (m, 4H, CH_2-CH_2). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 191.7 (C=O), 170.1 (N-C=O), 142.3 (Ar), 136.3 (Ar), 135.9 (Ar), 134.8 (Ar), 133.0 (Ar), 130.5 (Ar), 130.5 (Ar), 126.9 (Ar), 119.8 (Ar), 116.6 (Ar), 35.1 (C), 29.8 (CH_2), 20.3 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{18}H_{14}ClNO_2$ ($[M+Na]^+$) 334.0605, found: 334.0608.



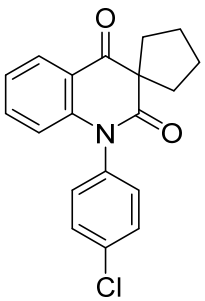
Mixture of 1'-(4-Chlorophenyl)-6'-methyl-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2ta) and 1'-(4-Chlorophenyl)-8'-methyl-1'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'-dione (2tb). The mixture of **2ta** and **2tb** was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (39 mg, 63%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, J = 7.6 Hz, 1H, ArH), 7.87 (s, 1.5H, ArH), 7.55 (m, 5.7 H, ArH), 7.20-7.25 (m, 7.2H, ArH), 6.99 (d, J = 8.4 Hz, 1.1H, ArH), 6.42 (d, J = 8.4 Hz, 1.7H, ArH), 6.28 (s, 0.9H, ArH), 2.35 (s, 5H, CH_3), 2.27 (s, 3H, CH_3), 2.12-2.05 (m, 11.9H, CH_2-CH_2). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 191.8 (C=O), 191.2 (C=O), 170.5 (N-C=O), 170.2 (N-C=O), 147.0 (Ar), 144.4 (Ar), 142.3 (Ar), 136.3 (Ar), 135.9 (Ar), 135.8 (Ar), 134.9 (Ar), 134.8 (Ar), 133.7 (Ar), 133.0 (Ar), 130.6 (Ar), 130.5 (Ar), 130.5 (Ar), 127.1 (Ar), 126.9 (Ar), 124.4 (Ar), 119.8 (Ar), 117.9 (Ar), 116.8 (Ar), 116.6 (Ar), 115.2 (Ar), 35.2 (C), 35.0 (C), 29.8 (CH_2), 29.6 (CH_2), 29.2 (CH_2), 22.2 (CH_3), 20.4 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{18}H_{14}ClNO_2$ ($[M+Na]^+$) 334.0605, found: 334.0615.



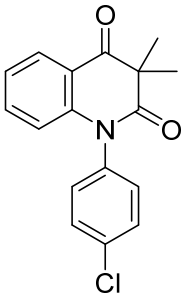
1'-(4-chlorophenyl)-5'-methyl-2'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'(1'*H*)-dione (2u). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (44 mg, 71%): mp 194-196 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (dd, J = 7.6, 1.2 Hz, 1H, ArH), 7.41 (d, J = 8.8 Hz, 2H, ArH), 7.33 (d, J = 6.8 Hz, 1H, ArH), 7.27 (d, J = 8.8 Hz, 2H, ArH), 7.16 (t, J = 7.6 Hz, 1H, ArH), 2.10-2.05 (m, 4H, CH_2-CH_2), 1.67 (s, 3H, CH_3). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.0 (C=O), 171.3 (N-C=O), 143.1 (Ar), 140.0 (Ar), 139.4 (Ar), 133.4 (Ar), 130.1 (Ar), 129.0 (Ar), 127.7 (Ar), 125.3 (Ar), 124.1 (Ar), 123.3 (Ar), 34.8 (C), 28.9 (CH_2), 22.3 (CH_3). HRMS (ESI), *m/z* calcd. $C_{18}H_{14}ClNO_2$ for ($[M+Na]^+$) 334.0605, found: 334.0615.



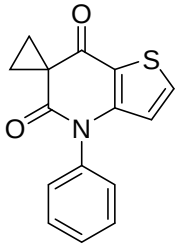
1'-(4-chlorophenyl)-7'-methoxy-2'*H*-spiro[cyclopropane-1,3'-quinoline]-2',4'(1'*H*)-dione (2v). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (44 mg, 67%): mp 158-160 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.43 (m, 3H, ArH), 7.14-7.12 (m, 2H, ArH), 6.94-6.91 (m, 1H, ArH), 6.39-6.36 (m, 1H, ArH), 3.75 (t, J_1 = 2.8 Hz, J_2 = 4.8 Hz, 3H, CH_3), 2.02-2.00 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.6 (C=O), 169.9 (N-C=O), 155.6 (Ar), 138.7 (Ar), 136.0 (Ar), 134.9 (Ar), 130.6 (Ar), 130.5 (Ar), 123.8 (Ar), 120.6 (Ar), 118.3 (Ar), 108.4 (Ar), 55.8 (CH_3), 35.1 (CH), 30.0 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{18}H_{14}ClNO_3$ ($[M+H]^+$) 328.0735, found: 328.0740.



1'-(4-Chlorophenyl)-1'*H*-spiro[cyclopentane-1,3'-quinoline]-2',4'-dione (2w). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (60 mg, 92%): mp 96-98 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (dd, J = 7.6, 1.6 Hz, 1H, ArH), 7.55-7.52 (m, 2H, ArH), 7.42-7.37 (m, 1H, ArH), 7.20-7.13 (m, 3H, ArH), 6.46 (d, J = 8.0 Hz, 1H, ArH), 2.32-2.27 (m, 4H, $2CH_2$), 1.89-1.86 (m, 4H, CH_2-CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 196.4 (C=O), 174.5 (N-C=O), 143.7 (Ar), 136.2 (Ar), 135.2 (Ar), 134.8 (Ar), 130.5 (Ar), 130.4 (Ar), 128.0 (Ar), 123.2 (Ar), 120.0 (Ar), 116.4 (Ar), 64.2 (C), 36.5 ($2CH_2$), 27.0 (CH_2-CH_2). HRMS (ESI), *m/z* calcd. for $C_{19}H_{16}ClNO_2$ ($[M+Na]^+$) 348.0762, found: 348.0773.



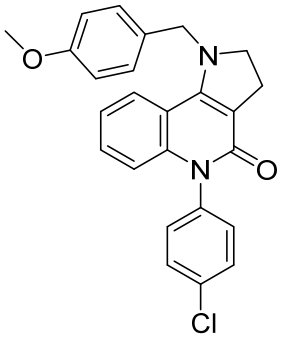
1-(4-Chlorophenyl)-3,3-dimethylquinoline-2,4(1*H*,3*H*)-dione (2x). The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a white solid (59 mg, 98%): mp 147-149 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (dd, J = 7.6, 1.6 Hz, 1H, ArH), 7.55-7.52 (m, 2H, ArH), 7.43-7.39 (m, 1H, ArH), 7.20-7.14 (m, 3H, ArH), 6.46 (d, J = 8.4 Hz, 1H, ArH), 1.57 (s, 6H, $2CH_3$). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 197.2 (C=O), 174.3 (N-C=O), 143.5 (Ar), 135.9 (Ar), 135.4 (Ar), 134.8 (Ar), 130.5 (Ar), 130.4 (Ar), 128.1 (Ar), 123.4 (Ar), 119.4 (Ar), 116.4 (Ar), 53.7, (C) 23.6 ($2CH_3$). HRMS (ESI), *m/z* calcd. for $C_{17}H_{14}ClNO_2$ ($[M+Na]^+$) 322.0605, found: 322.0618.



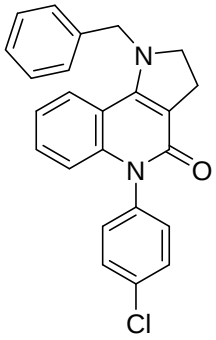
4'-phenyl-5'*H*-spiro[cyclopropane-1,6'-thieno[3,2-*b*]pyridine]-5',7'(4'*H*)-dione (2z).

The product was isolated by flash chromatography (eluent: ethyl acetate/PE = 1/20) as a yellow solid (19 mg, 35%): mp 112-114 ^{o}C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.56 (t, J = 7.2 Hz, 2H, ArH), 7.52 (t, J = 7.5 Hz, 1H, ArH), 7.39 (d, J = 7.2 Hz, 2H, ArH), 7.27 (d, J = 5.4 Hz, 1H, ArH), 6.69 (d, J = 6.0 Hz, 1H, ArH), 2.12-2.09 (m, 2H, CH_2), 2.03-2.00 (m, 2H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 186.2 (C=O), 170.6 (N-C=O), 160.0 (Ar), 138.4 (Ar), 130.2 (Ar), 129.9 (Ar), 127.9 (Ar), 123.2 (Ar), 121.4 (Ar), 116.0 (Ar), 35.4 (C), 29.7 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{15}H_{11}NO_2S$ ($[M+H]^+$) 270.0583, found: 270.0583.

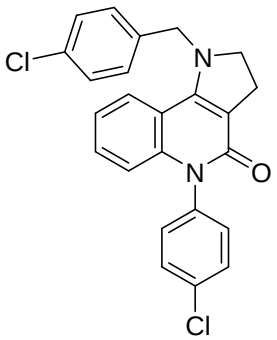
IV. Analytical data of compounds **3**.



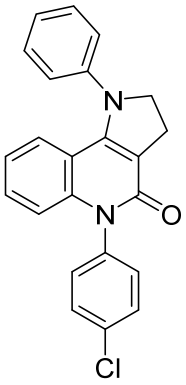
5-(4-Chlorophenyl)-1-(4-methoxybenzyl)-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3a). The product was washed by ether (1 mL × 2) as a light yellow solid (71 mg, 85%): mp 137-139 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, J = 8.0 Hz, 1H, ArH), 7.51 (d, J = 8.4 Hz, 2H, ArH), 7.32 (d, J = 8.4 Hz, 2H, ArH), 7.25-7.19 (m, 3H, ArH),7.01 (t, J = 7.6, 1H, ArH), 6.93 (d, J = 8.4 Hz, 2H, ArH), 6.67 (d, J = 8.4 Hz, 1H, ArH), 4.77 (s, 2H, CH_2Ar), 3.82 (s, 3H, CH_3), 3.74 (t, J = 9.8 Hz, 2H, NCH_2), 3.08 (t, J = 9.8 Hz, 2H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.6 (N-C=O), 159.0 (Ar), 155.7 (Ar), 142.1 (Ar), 137.0 (Ar), 134.3 (Ar), 130.9 (Ar), 130.2 (Ar), 129.7 (Ar), 129.5 (Ar), 127.7 (Ar), 123.4 (Ar), 121.2 (Ar), 116.9 (Ar), 114.3 (Ar), 113.2 (Ar), 109.2 (Ar), 55.5 (NCH_2, CH_2Ar or CH_3), 55.3 (NCH_2, CH_2Ar or CH_3), 55.0 (NCH_2, CH_2Ar or CH_3), 25.9 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{25}H_{21}ClN_2O_2$ ([M+Na]$^{+}$) 439.1184, found: 439.1175.



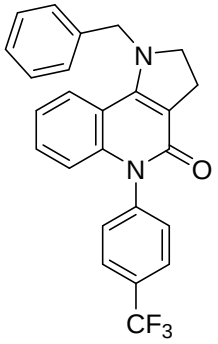
1-Benzyl-5-(4-chlorophenyl)-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3b). The product was washed by ether (1 mL × 2) as a light yellow solid (68 mg, 88%): mp 191-193 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, J = 7.2 Hz, 1H, ArH), 7.54 (d, J = 8.8 Hz, 2H, ArH), 7.44-7.40 (m, 4H, ArH), 7.36-7.33 (m, 1H, ArH), 7.23 (d, J = 8.8 Hz, 3H, ArH), 7.03-6.99 (m, 1H, ArH), 6.69 (d, J = 8.4 Hz, 1H, ArH), 4.84 (s, 2H, CH_2Ar), 3.79 (t, J = 9.8 Hz, 2H, NCH_2), 3.12 (t, J = 9.8 Hz, 2H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.6 (N-C=O), 155.6 (Ar), 142.1 (Ar), 138.0 (Ar), 137.0 (Ar), 134.3 (Ar), 130.9 (Ar), 130.2 (Ar), 129.5 (Ar), 128.9 (Ar), 127.5 (Ar), 126.5 (Ar), 123.3 (Ar), 121.2 (Ar), 116.9 (Ar), 113.1 (Ar), 109.3 (Ar), 55.8 (NCH_2 or CH_2Ar), 55.6 (NCH_2 or CH_2Ar), 25.9 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{24}H_{19}ClN_2O$ ([M+Na]$^{+}$) 409.1078, found: 409.1079.



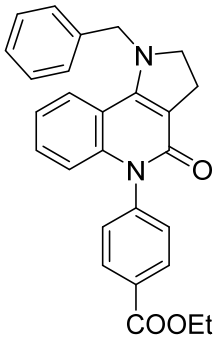
1-(4-Chlorobenzyl)-5-(4-chlorophenyl)-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3c). The product was washed by ether (1 mL × 2) as a light yellow solid (67 mg, 80%): mp 188-189 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, J = 8.0 Hz, 1H, ArH), 7.55 (d, J = 8.4 Hz, 2H, ArH), 7.42-7.38 (m, 4H, ArH), 7.29 (d, J = 8.4 Hz, 1H, ArH), 7.23 (d, J = 8.8 Hz, 2H, ArH), 7.03 (t, J =7.6 Hz, 1H, ArH), 6.71 (d, J = 8.4 Hz, 1H, ArH), 4.81 (s, 2H, CH_2Ar), 3.78 (t, J = 9.8 Hz, 2H, NCH_2), 3.14 (t, J = 9.8 Hz, 2H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.5 (N-C=O), 155.5 (Ar), 142.0 (Ar), 136.8 (Ar), 136.5 (Ar), 134.4 (Ar), 133.3 (Ar), 130.8 (Ar), 130.2 (Ar), 129.6 (Ar), 129.1 (Ar), 127.9 (Ar), 123.0 (Ar), 121.3 (Ar), 116.9 (Ar), 113.0 (Ar), 109.6 (Ar), 55.8 (NCH_2 or CH_2Ar), 55.2 (NCH_2 or CH_2Ar), 26.0 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{24}H_{18}Cl_2N_2O$ ($[M+Na]^+$) 443.0688, found: 443.0690.



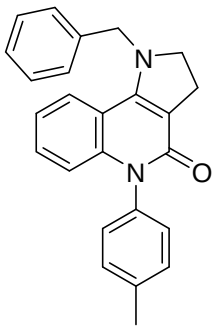
5-(4-Chlorophenyl)-1-phenyl-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3d). The product was washed by ether (1 mL × 2) as a light yellow solid (54 mg, 72%): mp 208-210 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, J = 8.4 Hz, 2H, ArH), 7.40 (t, J = 7.8 Hz, 2H, ArH), 7.29-7.27 (m, 3H, ArH), 7.22 (t, J = 6.8 Hz, 3H, ArH), 7.15 (d, J = 8.0 Hz, 1H, ArH), 6.89 (t, J = 7.4 Hz, 1H, ArH), 6.69 (d, J = 8.4 Hz, 1H, ArH), 4.16 (t, J = 9.6 Hz, 2H, NCH_2), 3.22 (t, J = 9.4 Hz, 2H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.9 (N-C=O), 152.6 (Ar), 146.1 (Ar), 141.8 (Ar), 136.8 (Ar), 134.4 (Ar), 130.8 (Ar), 130.2 (Ar), 129.4 (Ar), 129.3 (Ar), 125.4 (Ar), 124.9 (Ar), 124.5 (Ar), 120.8 (Ar), 116.4 (Ar), 113.0 (Ar), 112.9 (Ar), 58.4 (NCH_2), 26.4 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{23}H_{17}ClN_2O$ ($[M+H]^+$) 373.1102, found: 373.1118.



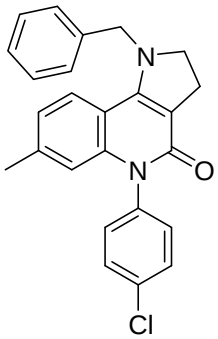
1-Benzyl-5-(4-(trifluoromethyl)phenyl)-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3e). The product was washed by ether (1 mL × 2) as a light yellow solid (62 mg, 74%): mp 202-204 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, J = 8.0 Hz, 1H, ArH), 7.75 (d, J = 8.0 Hz, 1H, ArH), 7.46-7.42 (m, 3H, ArH), 7.38-7.35 (m, 1H, ArH), 7.30-7.26 (m, 1H, ArH), 7.06-7.02 (m, 1H, ArH), 6.65 (d, J = 8.4 Hz, 1H, ArH), 4.88 (s, 2H, CH_2Ar), 3.83 (t, J = 9.8 Hz, 1H, NCH_2), 3.15 (t, J = 9.8 Hz, 2H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.4 (N-C=O), 155.8 (Ar), 141.8 (Ar), 137.8 (Ar), 130.2 (Ar), 129.6 (Ar), 129.0 (Ar), 127.5 (Ar), 127.1 (q, J_{C-F} = 4.0 Hz, Ar), 126.5 (Ar), 123.4 (Ar), 121.4 (Ar), 116.7 (Ar), 113.2 (Ar), 109.0 (Ar), 55.8 (NCH_2 or CH_2Ar), 55.5 (NCH_2 or CH_2Ar), 25.8 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{25}H_{19}F_3N_2O$ ($[M+Na]^+$) 443.1342, found: 443.1353.



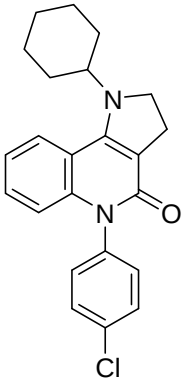
Ethyl 4-(1-benzyl-4-oxo-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-5(4*H*)-yl)benzoate (3f). The product was washed by ether (1 mL × 2) as a light yellow solid (73 mg, 86%): mp 167-169 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, J = 8.4 Hz, 2H, ArH), 7.72 (d, J = 7.2 Hz, 1H, ArH), 7.44-7.32 (m, 7H, ArH), 7.25-7.21 (m, 1H, ArH), 7.03-6.99 (m, 1H, ArH), 6.64 (d, J = 8.0 Hz, 1H, ArH), 4.85 (s, 2H, CH_2Ar), 4.43 (q, J = 7.1 Hz, 2H,), 3.80 (t, J = 9.8 Hz, 2H, NCH_2), 3.13 (t, J = 10 Hz, 2H, CH_2), 1.43 (t, J = 7.2 Hz, 3H, CH_3). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 166.0 (O-C=O), 160.4 (N-C=O), 155.7 (Ar), 142.7 (Ar), 141.9 (Ar), 137.9 (Ar), 131.3 (Ar), 130.5 (Ar), 129.7 (Ar), 129.5 (Ar), 128.9 (Ar), 127.5 (Ar), 126.5 (Ar), 123.3 (Ar), 121.3 (Ar), 116.8 (Ar), 113.1 (Ar), 109.2 (Ar), 61.2 (OCH_2), 55.8 (NCH_2 or CH_2Ar), 55.6 (NCH_2 or CH_2Ar), 25.9 (CH_2), 14.3 (CH_3). HRMS (ESI), *m/z* calcd. For $C_{27}H_{24}N_2O_3$ ($[M+Na]^+$) 447.1679, found: 447.1676.



1-Benzyl-5-(*p*-tolyl)-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3g). The product was washed by ether (1 mL × 2) as a light yellow solid (63 mg, 86%): mp 156-158 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, J = 8.0 Hz, 1H, ArH), 7.46-7.40 (m, 4H, ArH), 7.37-7.32 (m, 3H, ArH), 7.25-7.21 (m, 1H, ArH), 7.15 (d, J = 8.0 Hz, 2H, ArH), 7.01-6.97 (m, 1H, ArH), 6.73 (d, J = 8.0 Hz, 1H, ArH), 4.83 (s, 2H, CH_2Ar), 3.77 (t, J = 9.8 Hz, 2H, NCH_2), 3.13 (t, J = 9.8 Hz, 2H, CH_2), 2.46 (s, 3H, CH_3). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.9 (N-C=O), 155.5 (Ar), 142.5 (Ar), 138.2 (Ar), 138.1 (Ar), 135.7 (Ar), 130.6 (Ar), 129.2 (Ar), 129.0 (Ar), 128.9 (Ar), 127.4 (Ar), 126.6 (Ar), 123.1 (Ar), 120.9 (Ar), 117.2 (Ar), 113.1 (Ar), 109.7 (Ar), 55.8 (NCH_2 or CH_2Ar), 55.7 (NCH_2 or CH_2Ar), 26.1 (CH_2), 21.3 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{25}H_{22}N_2O$ ([M+Na]$^{+}$) 389.1624, found: 389.1624.



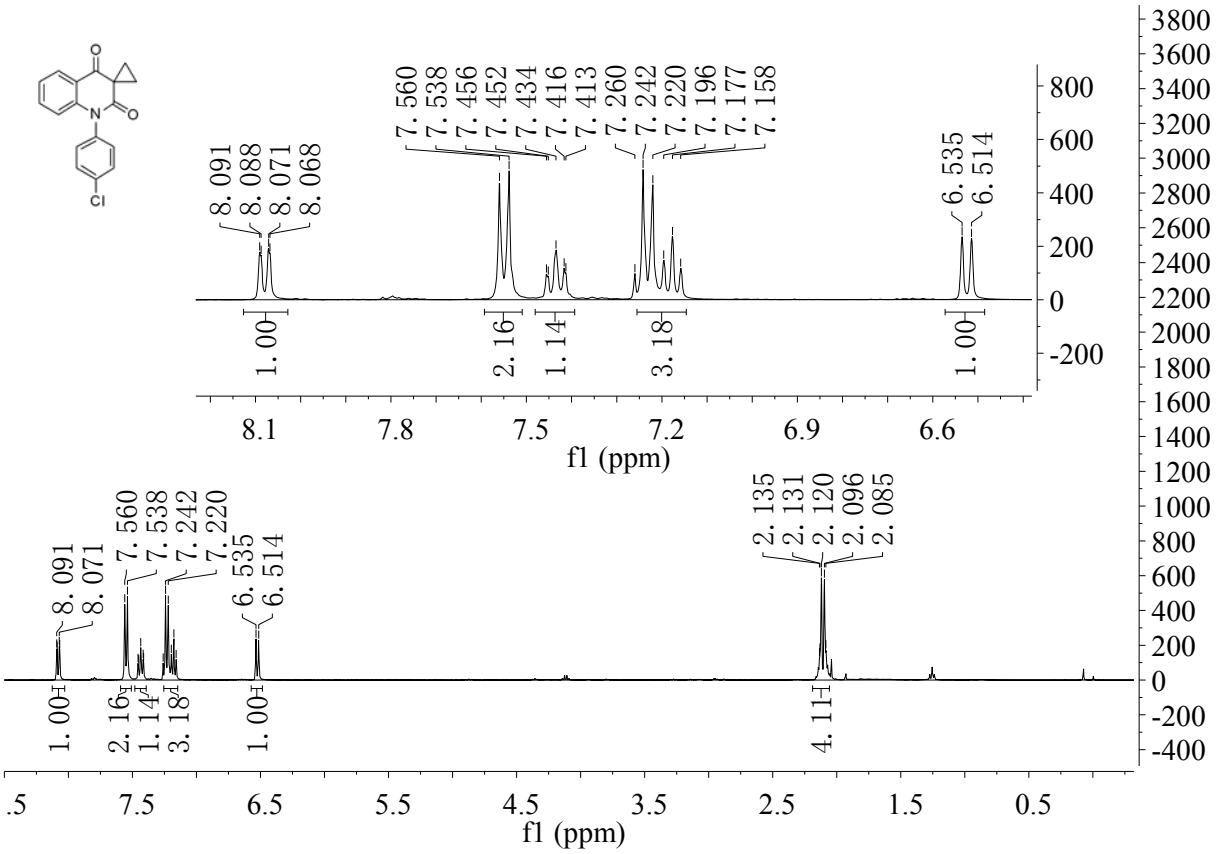
1-Benzyl-5-(4-chlorophenyl)-7-methyl-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3h). The product was washed by ether (1 mL × 2) as a light yellow solid (69 mg, 86%): mp 140-142 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, J = 8.0 Hz, 3H, ArH), 7.44-7.40 (m, 4H, ArH), 7.35-7.32 (m, 1H, ArH), 7.21 (d, J = 8.4 Hz, 2H, ArH), 7.07 (d, J = 8.4 Hz, 1H, ArH), 6.58 (d, J = 8.8 Hz, 1H, ArH), 4.82 (s, 2H, CH_2Ar), 3.78 (t, J = 9.8 Hz, 2H, NCH_2), 3.10 (t, J = 9.8 Hz, 2H, CH_2), 2.22 (s, 3H, CH_3). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.5 (N-C=O), 155.6 (Ar), 140.1 (Ar), 138.2 (Ar), 137.1 (Ar), 134.2 (Ar), 130.9 (Ar), 130.7 (Ar), 130.6 (Ar), 130.1 (Ar), 128.9 (Ar), 127.5 (Ar), 126.6 (Ar), 123.1 (Ar), 116.8 (Ar), 113.1 (Ar), 109.6 (Ar), 55.8 (NCH_2 and CH_2Ar), 25.9 (CH_2), 20.8 (CH_3). HRMS (ESI), *m/z* calcd. for $C_{25}H_{21}ClN_2O$ ([M+Na]$^{+}$) 423.1235, found: 423.1239.

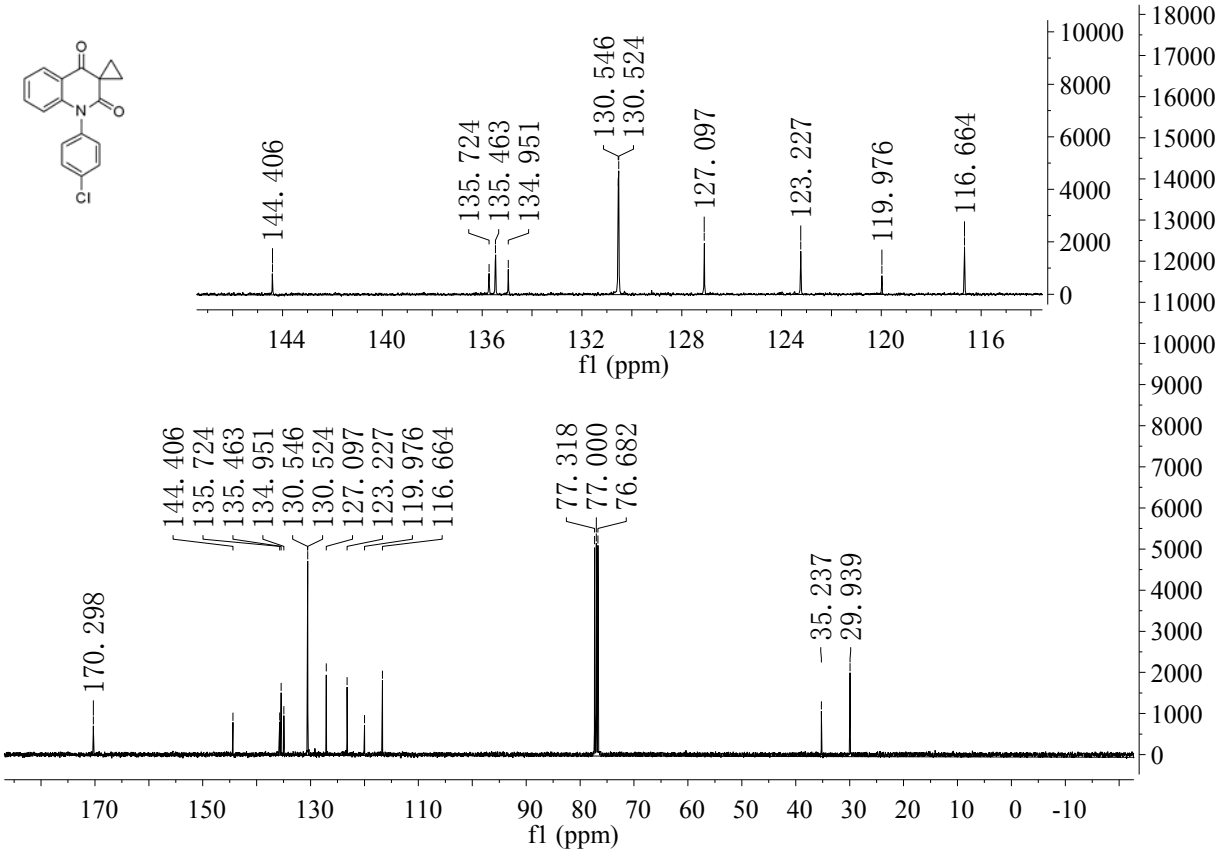


5-(4-Chlorophenyl)-1-cyclohexyl-2,3-dihydro-1*H*-pyrrolo[3,2-*c*]quinolin-4(5*H*)-one (3j). The product was washed by ether (1 mL × 2) as a light yellow solid (66 mg, 79%): mp 222-224 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, J = 8.0 Hz, 1H, ArH), 7.53 (d, J = 8.4 Hz, 2H, ArH), 7.30-7.26 (m, 1H, ArH), 7.22 (d, J = 8.4 Hz, 2H, ArH), 7.15 (t, J = 7.6 Hz, 1H, ArH), 6.70 (d, J = 8.4 Hz, 1H, ArH), 4.15-4.07 (m, 1H, CH), 3.73 (t, J = 9.8 Hz, 2H, NCH_2), 3.00 (t, J = 9.8 Hz, 2H, CH_2), 2.03-1.83 (t, J = 16.8 Hz, 4H, $2CH_2$), 1.73 (d, J = 12.8 Hz, 1H, CH_2), 1.65-1.56 (m, 2H, CH_2), 1.43-1.33 (m, 2H, CH_2), 1.24-1.23 (m, 1H, CH_2). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.4 (N-C=O), 155.1 (Ar), 141.9 (Ar), 137.0 (Ar), 134.1 (Ar), 130.8 (Ar), 130.1 (Ar), 129.1 (Ar), 123.5 (Ar), 121.0 (Ar), 116.9 (Ar), 113.7 (Ar), 110.4 (Ar), 58.2 (NCH_2), 47.3 (CH), 30.8 (CH_2), 25.8 (CH_2), 25.8 (CH_2), 25.5 (CH_2). HRMS (ESI), *m/z* calcd. for $C_{23}H_{23}ClN_2O$ ($[M+H]^+$) 379.1572, found: 379.1577.

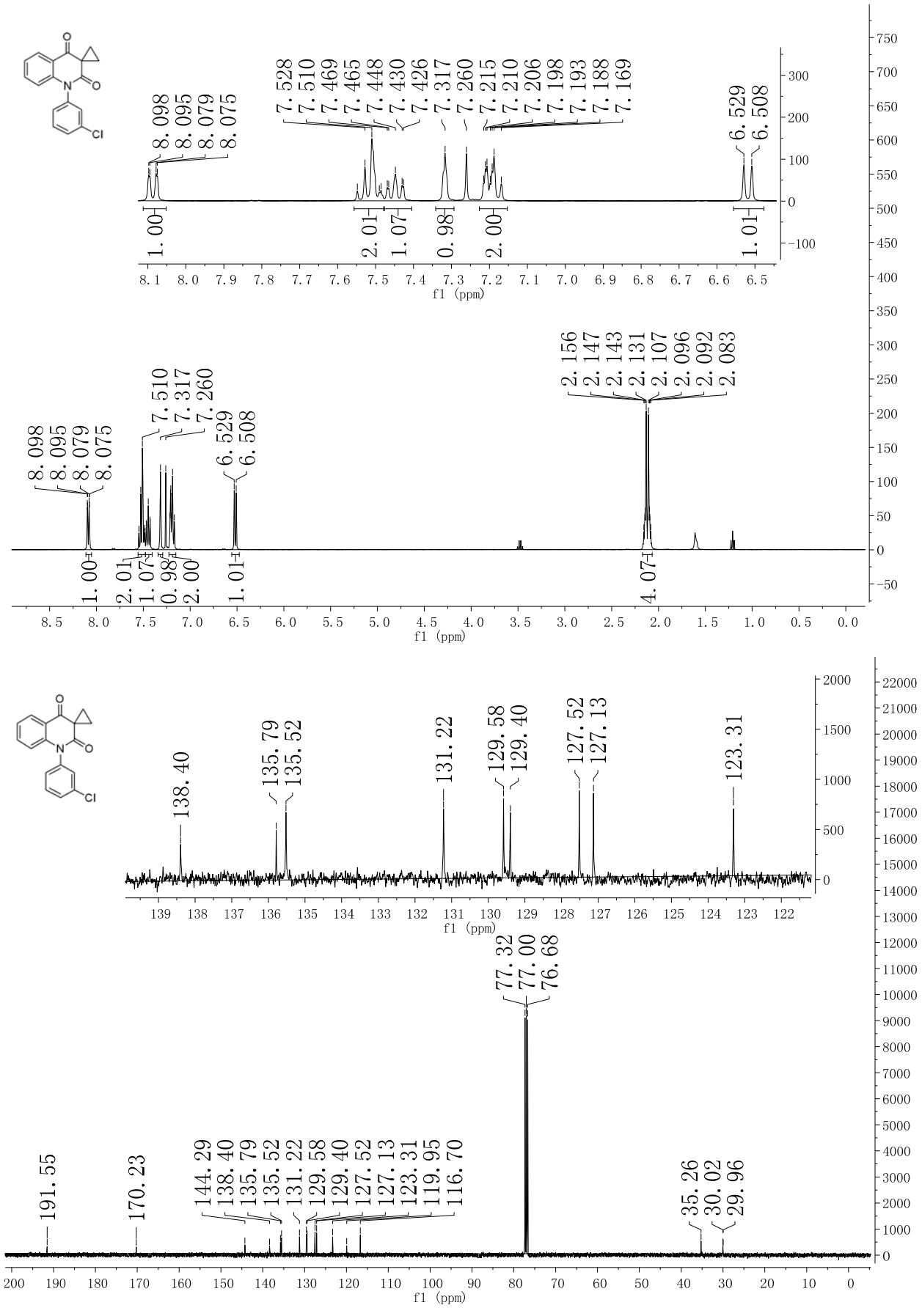
V. ^{1}H NMR and ^{13}C NMR spectra copies of **2** and **3**.

Compound **2a**





Compound **2b**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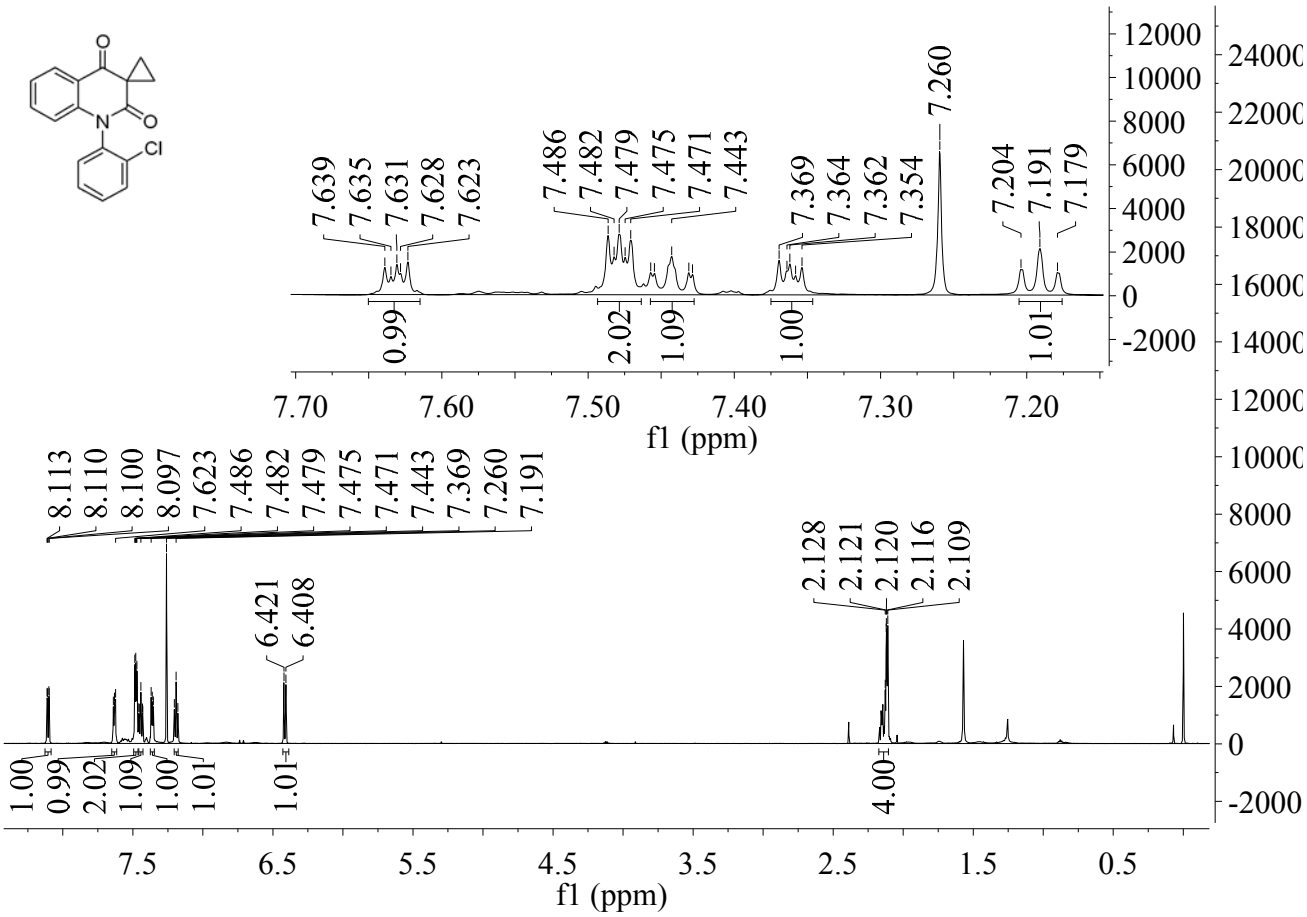
8.075
7.528
7.510
7.469
7.465
7.448
7.430
7.426
7.317
7.260
7.215
7.210
7.206
7.198
7.193
7.188
7.169
6.529
6.508
1.00
2.01
1.07
0.98
2.00
1.01
f1 (ppm)
2.156
2.147
2.143
2.131
2.107
2.096
2.092
2.083
4.07
138.40
135.79
135.52
131.22
129.58
129.40
127.52
127.13
123.31
77.32
77.00
76.68
191.55
170.23
144.29
138.40
135.79
135.52
131.22
129.58
129.40
127.52
127.13
123.31
119.95
116.70
35.26
30.02
29.96

Compound **2c**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7.631
7.628
7.623
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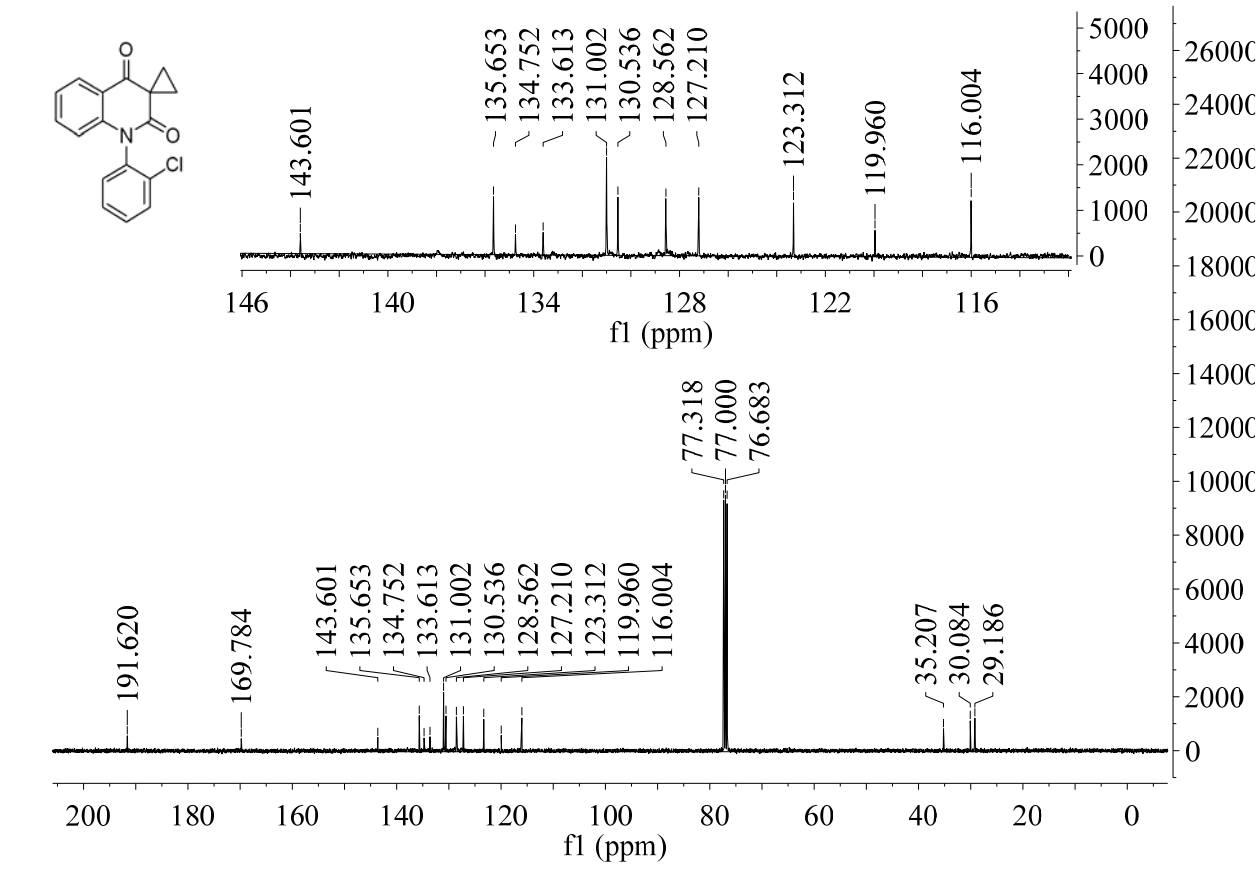
7.482
7.479
7.475
7.471
7.443
7.369
7.364
7.362
7.354
7.260
7.204
7.191
7.179
0.99
2.02
1.09
1.00
1.01
f1 (ppm)
8.113
8.110
8.100
8.097
7.623
7.486
7.482
7.479
7.475
7.471
7.443
7.369
7.260
7.191
6.421
6.408
2.128
2.121
2.120
2.116
2.109
1.00
0.99
2.02
1.09
1.00
1.01
1.01
4.00
f1 (ppm)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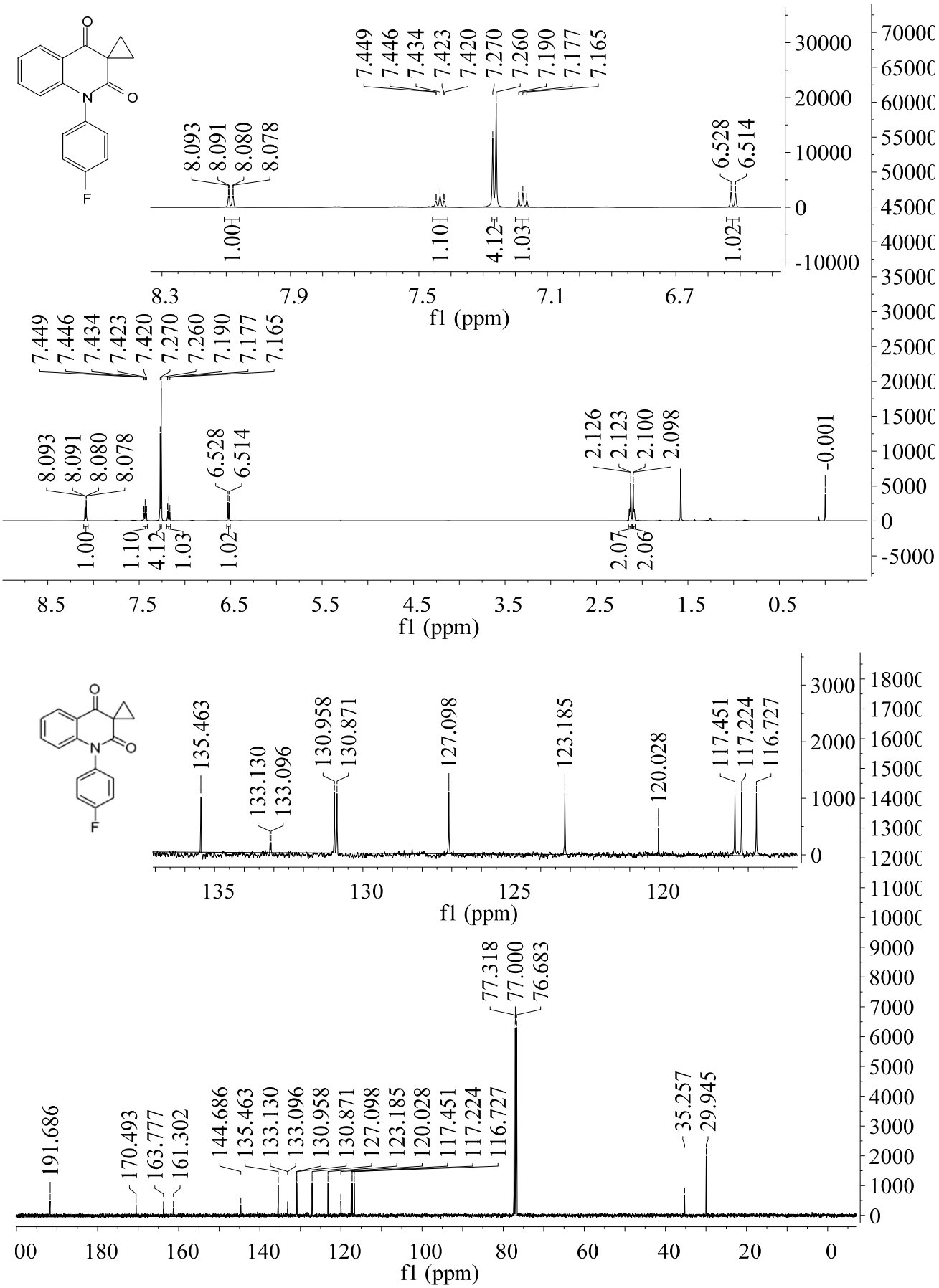
135.653
134.752
133.613
131.002
130.536
128.562
127.210
123.312
119.960
116.004
f1 (ppm)
77.318
77.000
76.683
191.620
169.784
143.601
135.653
134.752
133.613
131.002
130.536
128.562
127.210
123.312
119.960
116.004
35.207
30.084
29.186
f1 (ppm)

Compound **2d**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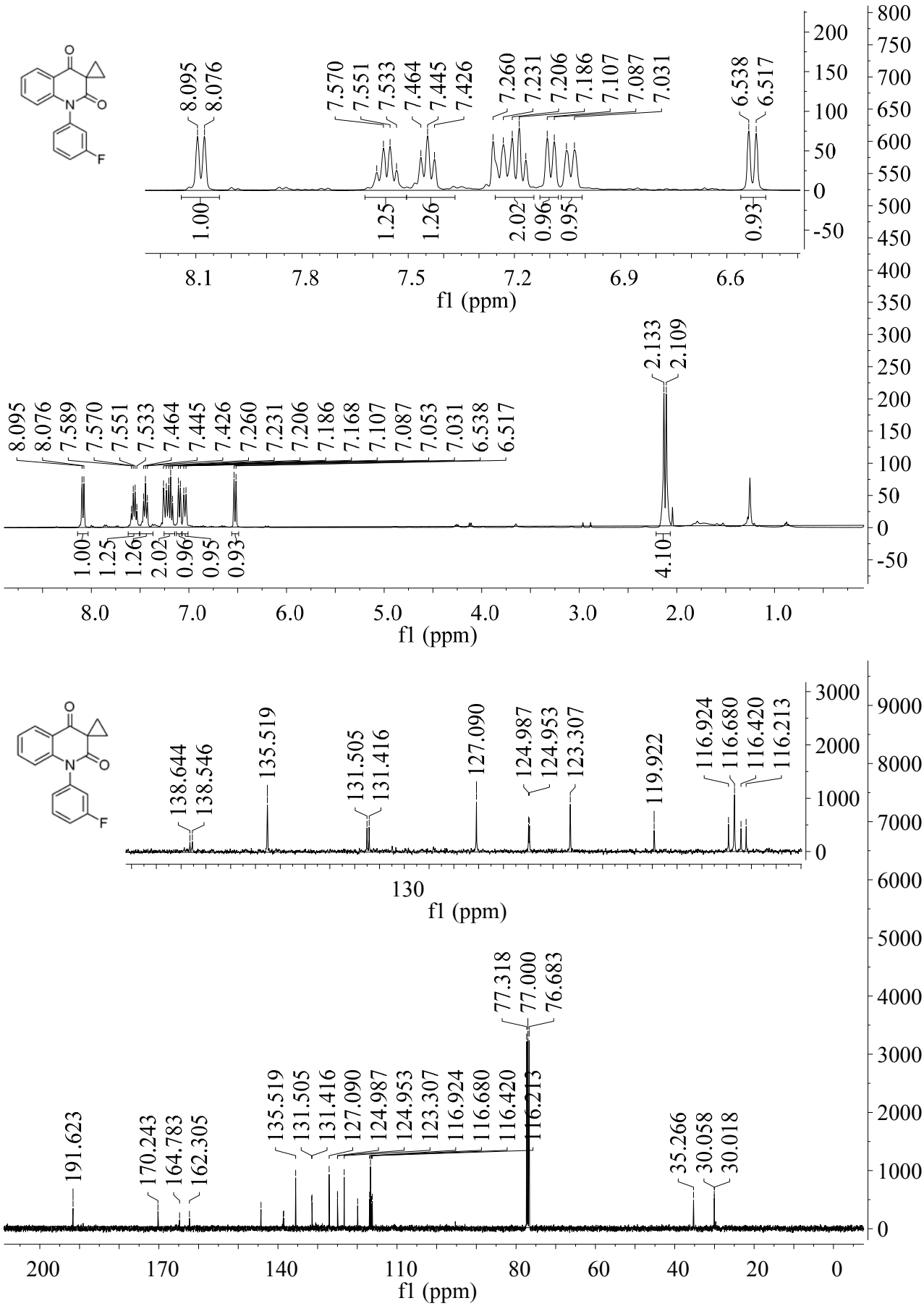
7.446
7.434
7.423
7.420
7.270
7.260
7.190
7.177
7.165
8.093
8.091
8.080
8.078
6.528
6.514
1.00
1.10
4.12
1.03
1.02
f1 (ppm)
2.126
2.123
2.100
2.098
-0.001
2.07
2.06
135.463
133.130
133.096
130.958
130.871
127.098
123.185
120.028
117.451
117.224
116.727
77.318
77.000
76.683
191.686
170.493
163.777
161.302
144.686
35.257
29.945

Compound **2e**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7.464
7.445
7.426
7.260
7.231
7.206
7.186
7.107
7.087
7.031
6.538
6.517
1.00
1.25
1.26
2.02
0.96
0.95
0.93
f1 (ppm)
2.133
2.109
8.095
8.076
7.589
7.570
7.551
7.533
7.464
7.445
7.426
7.260
7.231
7.206
7.186
7.168
7.107
7.087
7.053
7.031
6.538
6.517
1.00
1.25
1.26
2.02
0.96
0.95
0.93
4.10
f1 (ppm)
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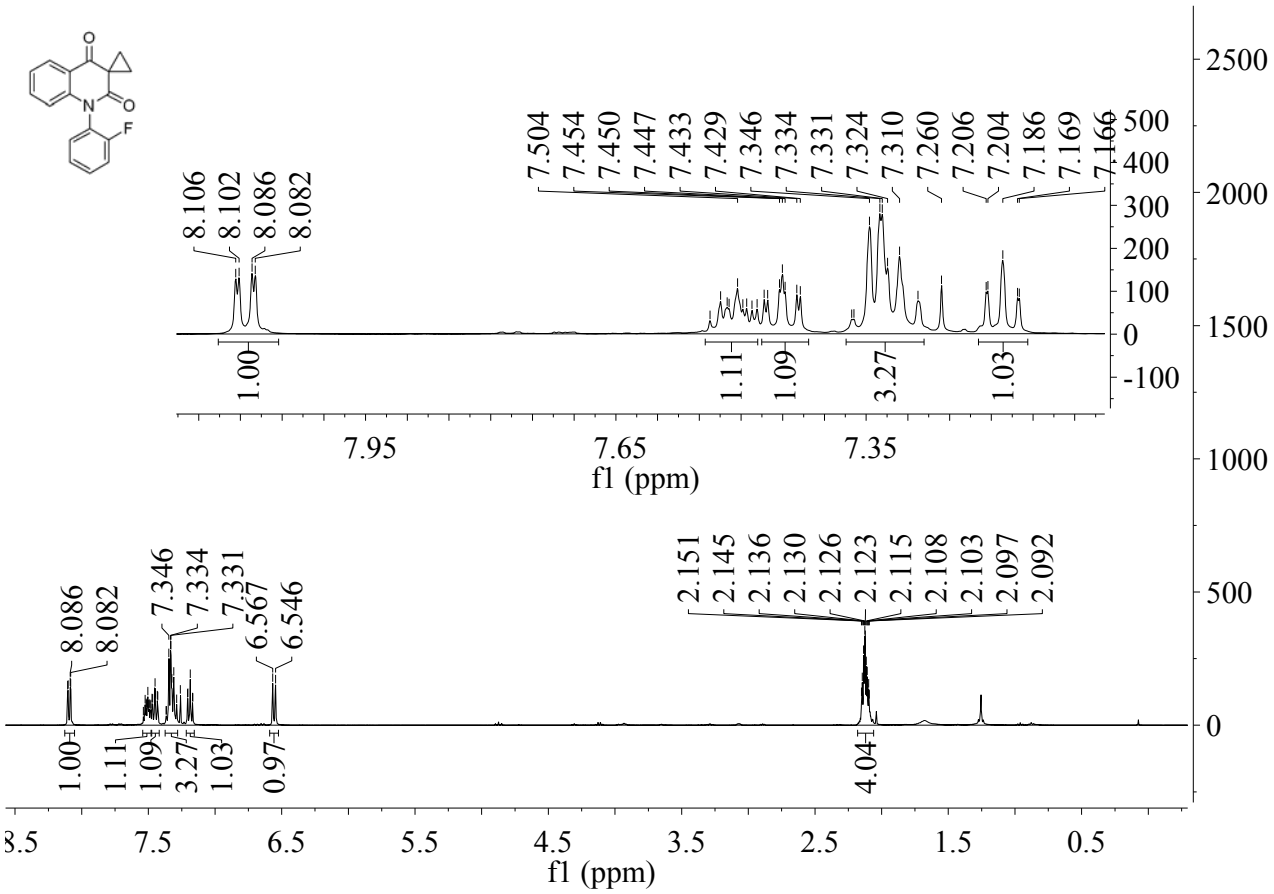
138.546
135.519
131.505
131.416
127.090
124.987
124.953
123.307
119.922
116.924
116.680
116.420
116.213
f1 (ppm)
77.318
77.000
76.683
191.623
170.243
164.783
162.305
135.519
131.505
131.416
127.090
124.987
124.953
123.307
116.924
116.680
116.420
116.213
35.266
30.058
30.018
f1 (ppm)

Compound **2f**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8.102
8.086
8.082
7.504
7.454
7.450
7.447
7.433
7.429
7.346
7.334
7.331
7.324
7.310
7.260
7.206
7.204
7.186
7.169
7.166
1.00
1.11
1.09
3.27
1.03
7.95
7.65
7.35
f1 (ppm)
8.086
8.082
7.346
7.334
7.331
6.567
6.546
2.151
2.145
2.136
2.130
2.126
2.123
2.115
2.108
2.103
2.097
2.092
1.00
1.11
1.09
3.27
1.03
0.97
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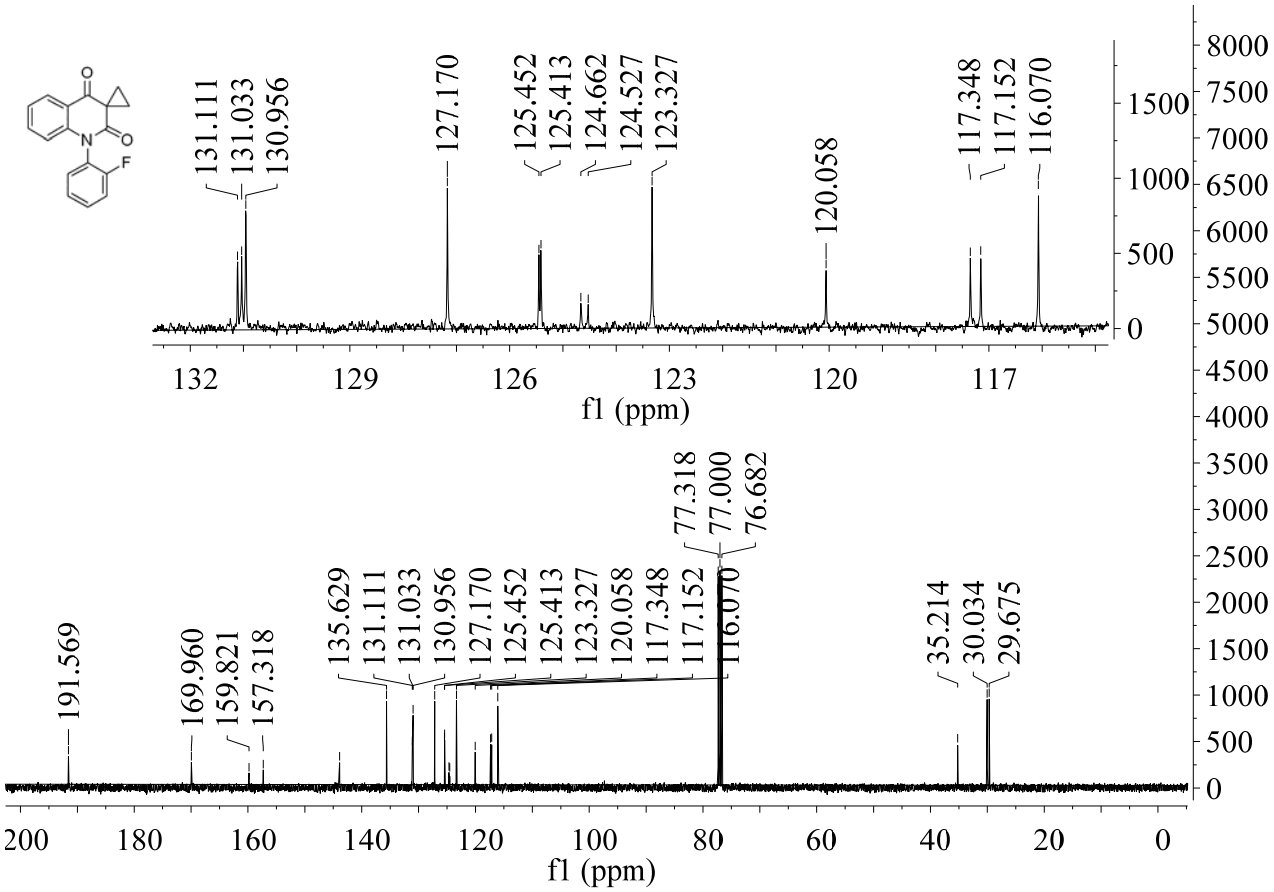
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)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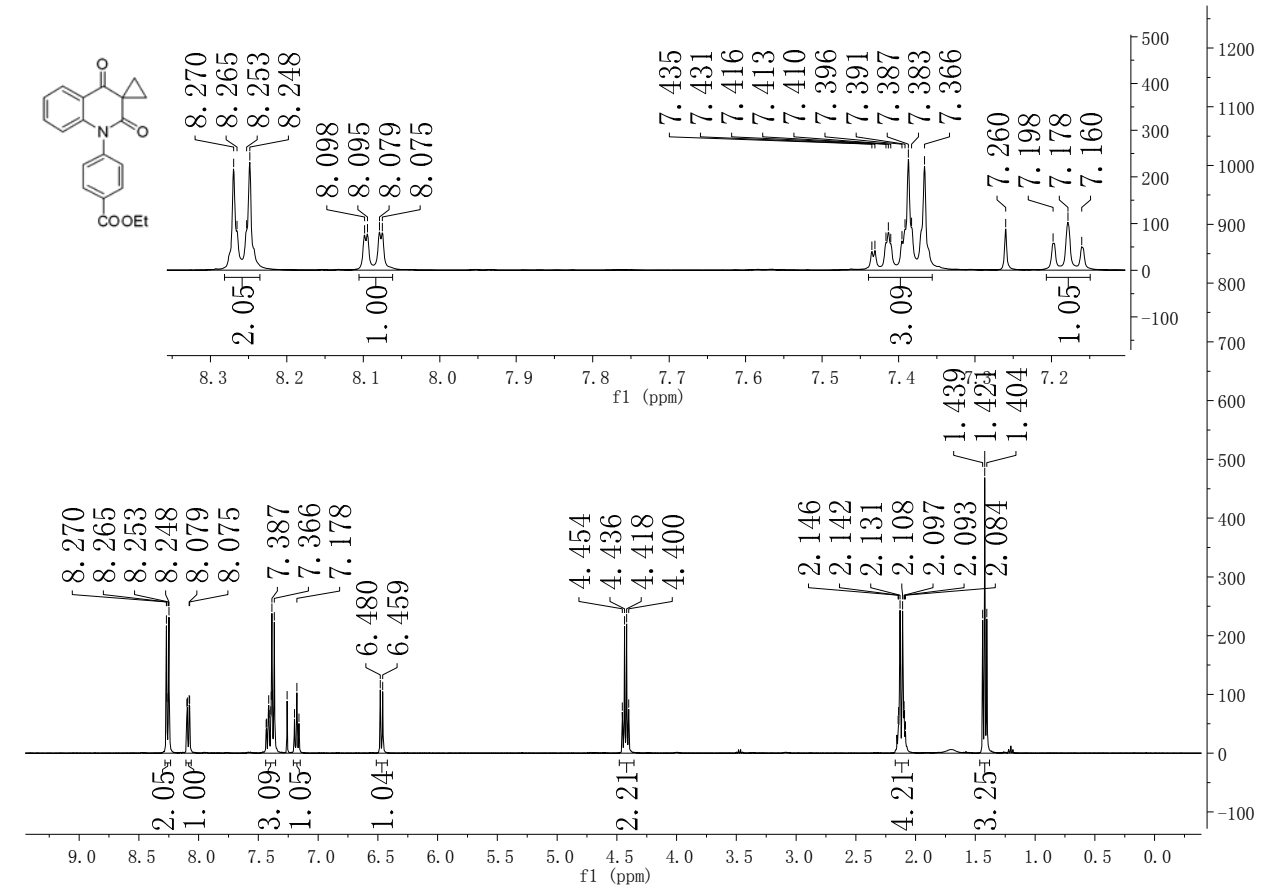
127.170
125.452
125.413
124.662
124.527
123.327
120.058
117.348
117.152
116.070
132
129
126
123
120
117
f1 (ppm)
77.318
77.000
76.682
191.569
169.960
159.821
157.318
135.629
131.111
131.033
130.956
127.170
125.452
125.413
123.327
120.058
117.348
117.152
116.070
35.214
30.034
29.675
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

Compound **2g**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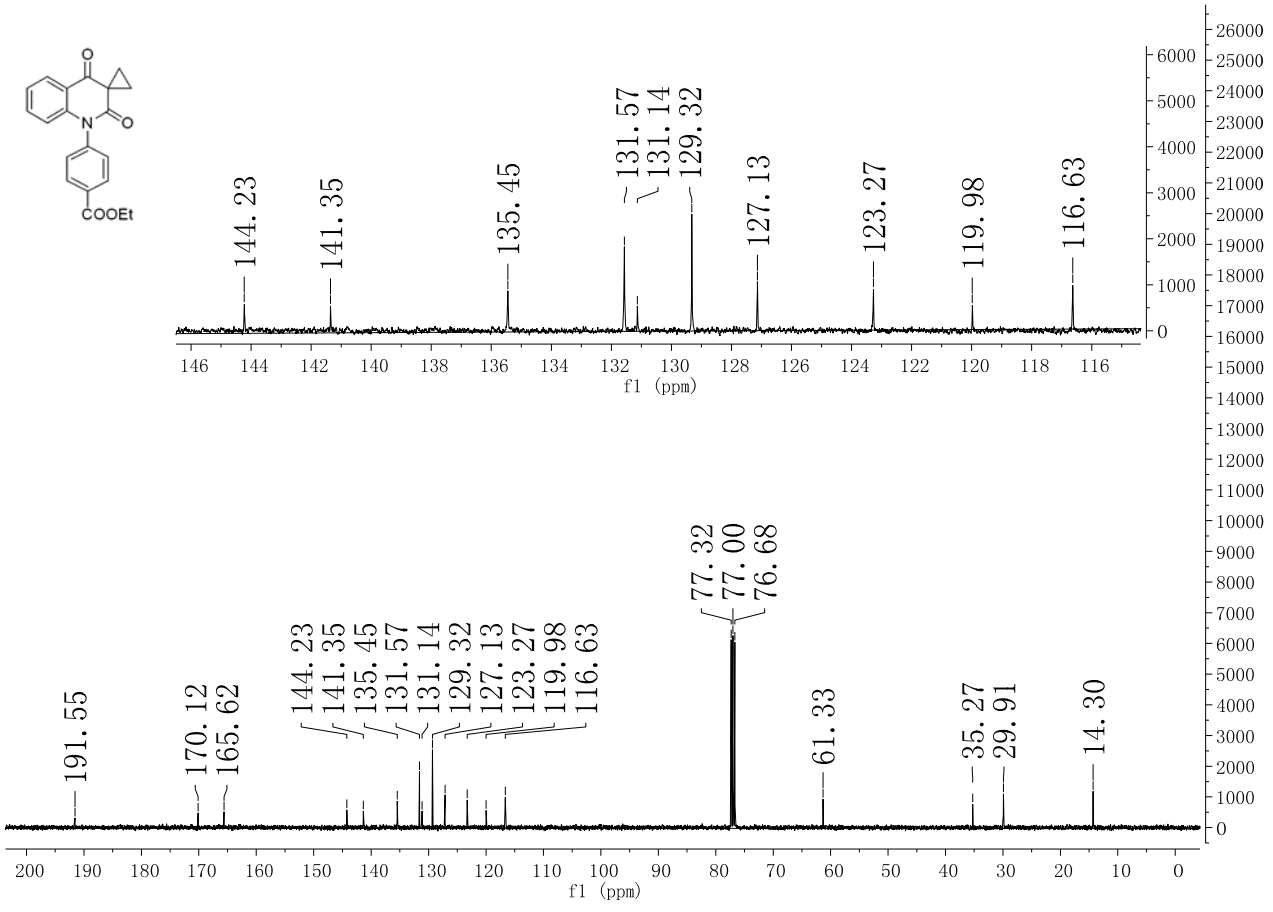
8.095
8.079
8.075
7.435
7.431
7.416
7.413
7.410
7.396
7.391
7.387
7.383
7.366
7.260
7.198
7.178
7.160
2.05
1.00
3.09
1.05
f1 (ppm)
1.439
1.421
1.404
8.270
8.265
8.253
8.248
8.079
8.075
7.387
7.366
7.178
6.480
6.459
4.454
4.436
4.418
4.400
2.146
2.142
2.131
2.108
2.097
2.093
2.084
2.05
1.00
3.09
1.05
1.04
2.21
4.21
3.25
f1 (ppm)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141.35
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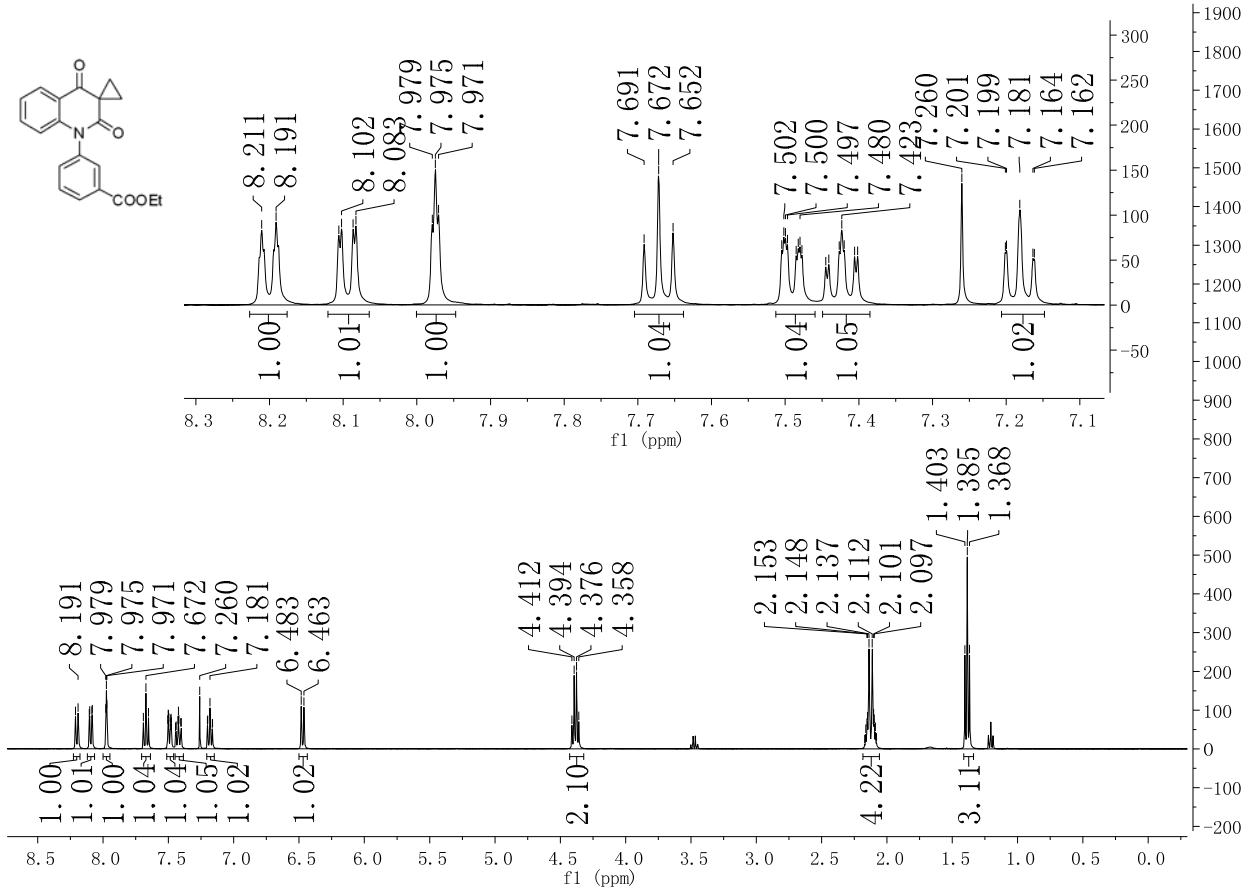
131.57
131.14
129.32
127.13
123.27
119.98
116.63
f1 (ppm)
191.55
170.12
165.62
144.23
141.35
135.45
131.57
131.14
129.32
127.13
123.27
119.98
116.63
77.32
77.00
76.68
61.33
35.27
29.91
14.30
f1 (ppm)

Compound **2h**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7.975
7.971
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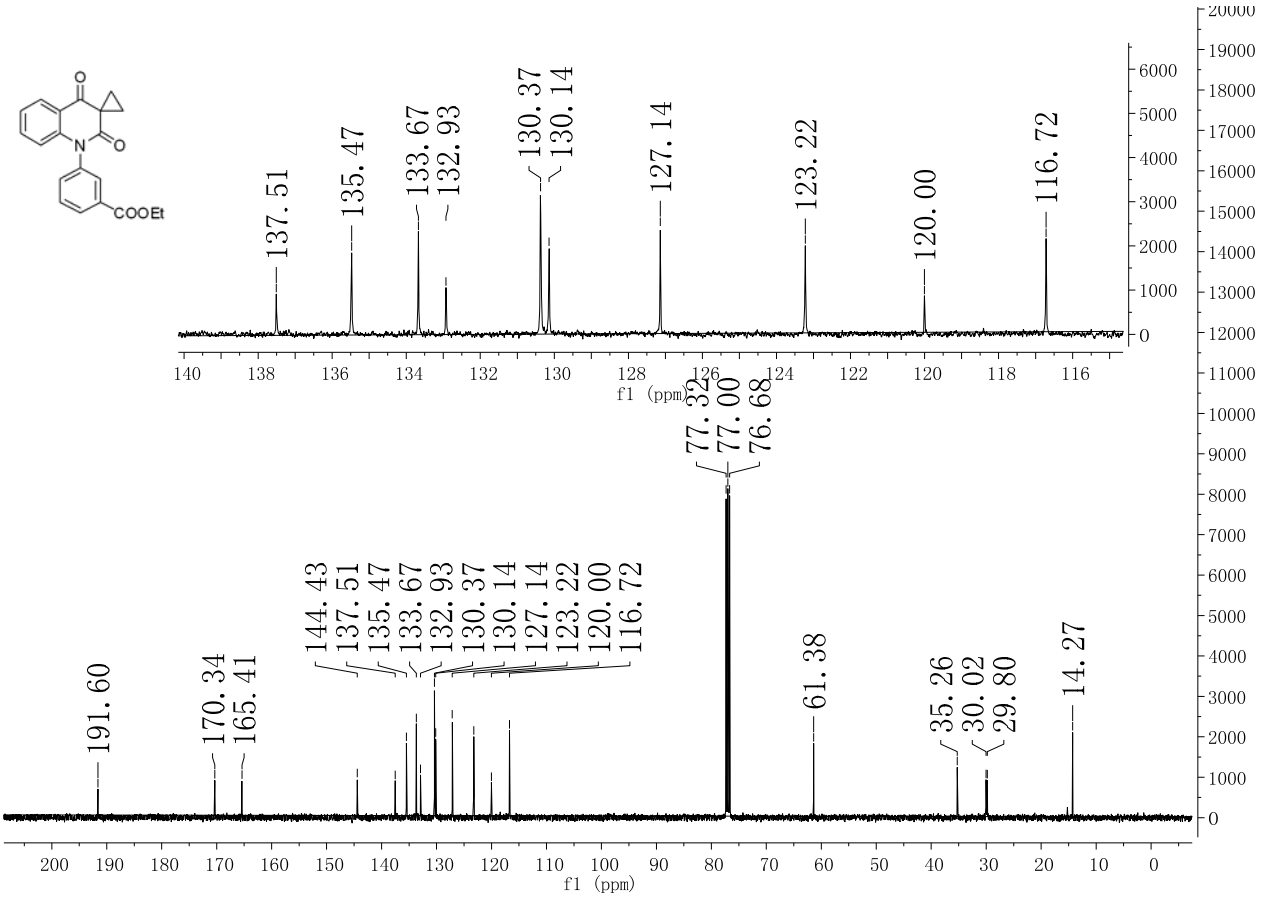
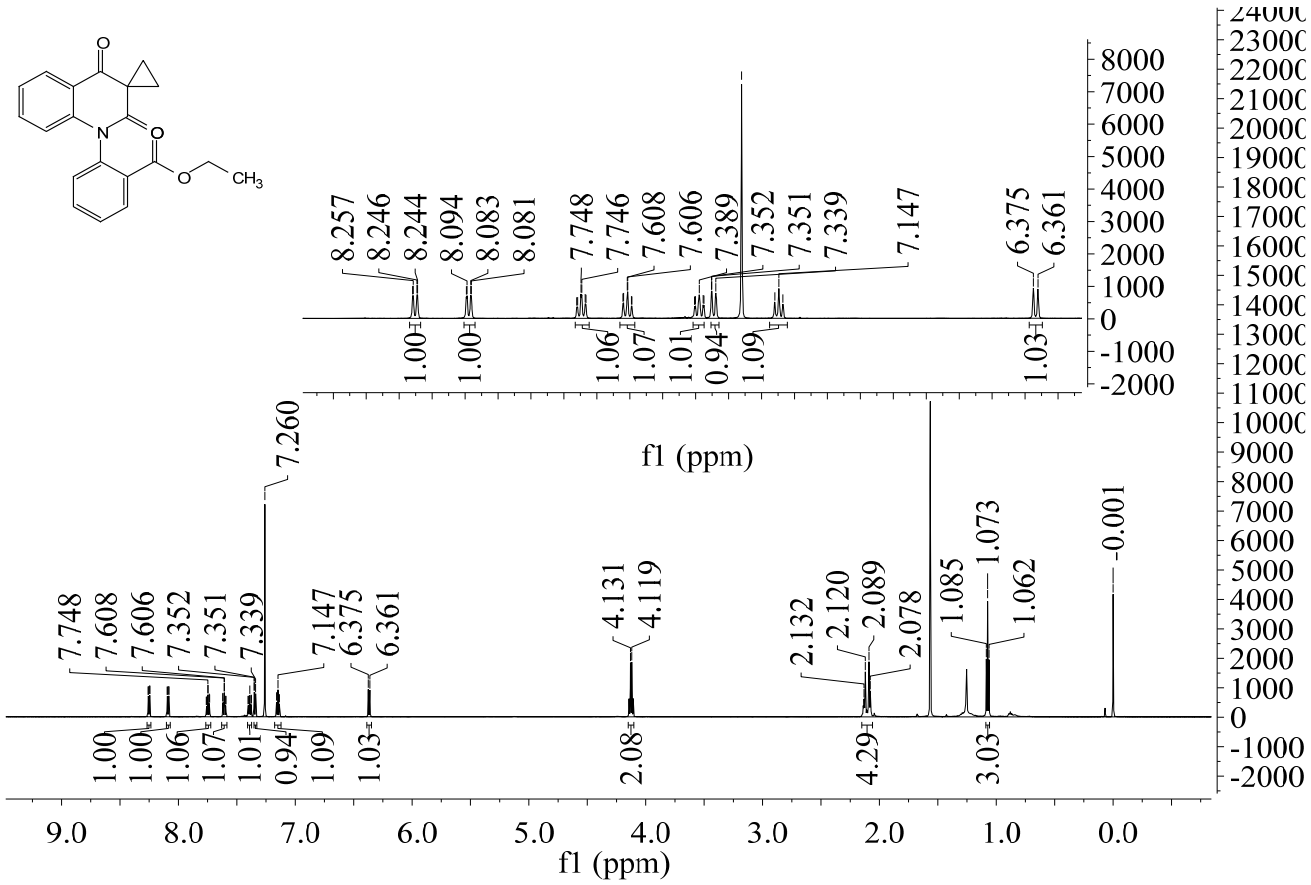
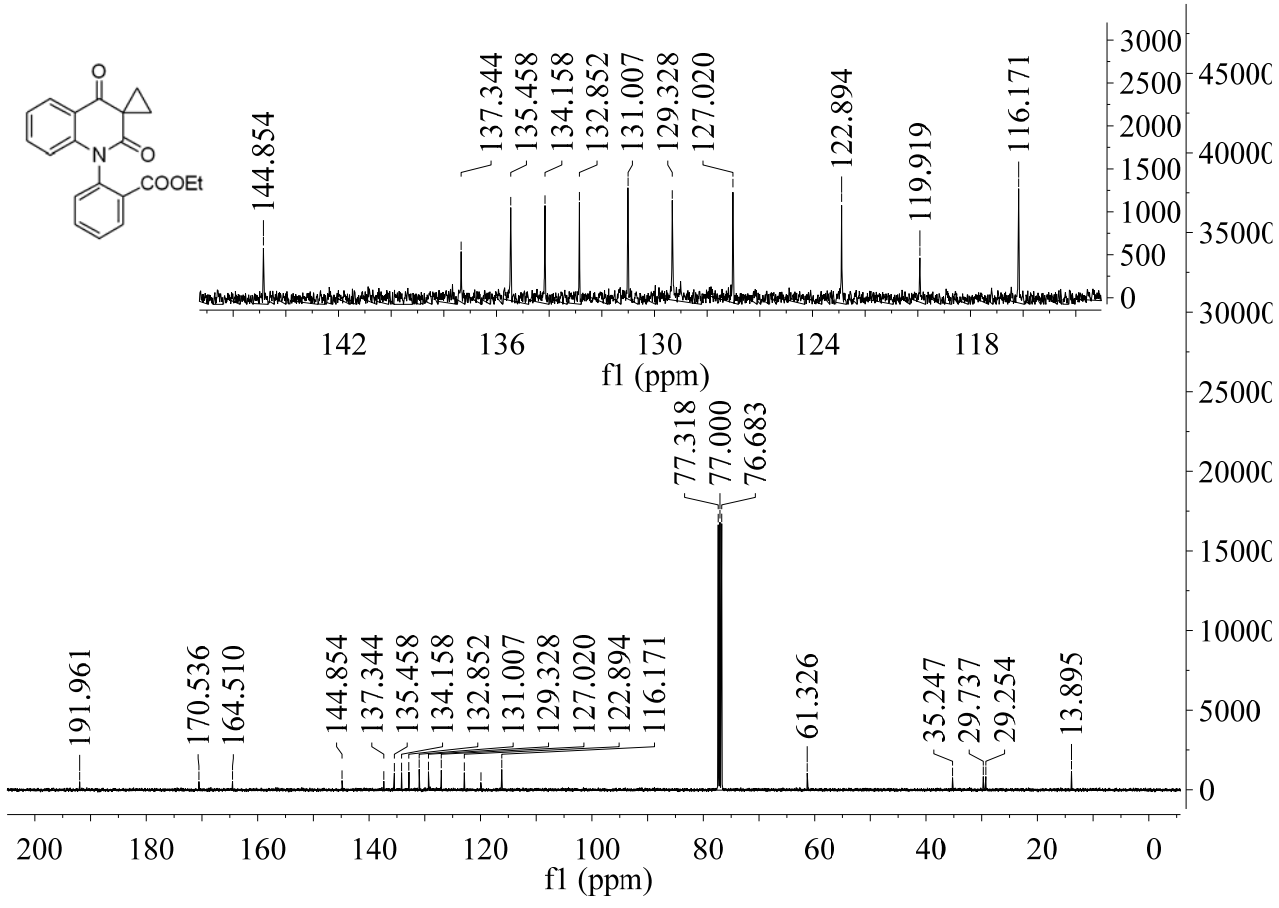
7.652
7.502
7.500
7.497
7.480
7.423
7.260
7.201
7.199
7.181
7.164
7.162
1.00
1.01
1.00
1.04
1.04
1.05
1.02
f1 (ppm)
8.191
7.979
7.975
7.971
7.672
7.260
7.181
6.483
6.463
4.412
4.394
4.376
4.358
2.153
2.148
2.137
2.112
2.101
2.097
1.403
1.385
1.368
1.00
1.01
1.00
1.04
1.04
1.05
1.02
1.02
2.10
4.22
3.11
f1 (ppm)

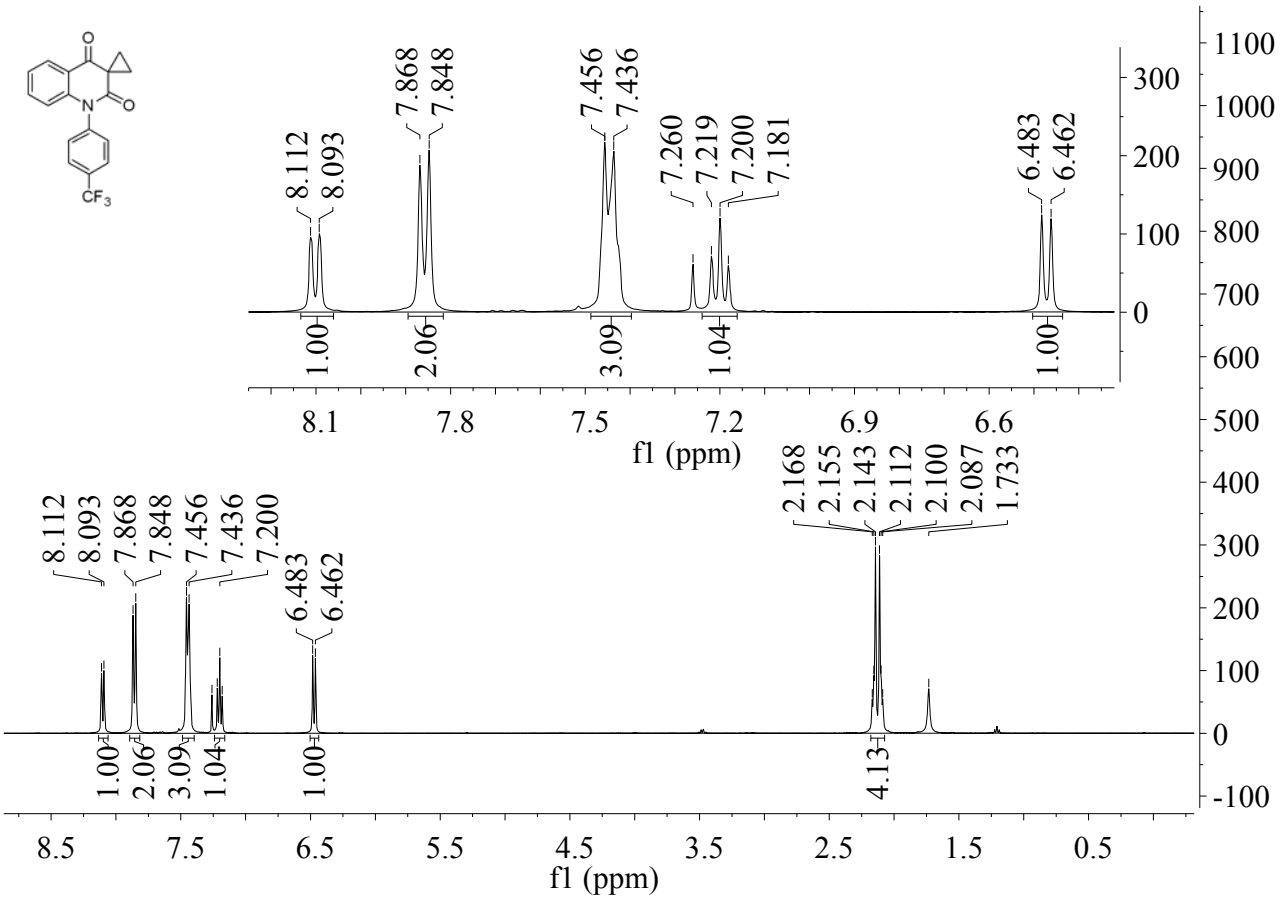

137.51
135.47
133.67
132.93
130.37
130.14
127.14
123.22
120.00
116.72
f1 (ppm)
77.32
77.00
76.68
144.43
137.51
135.47
133.67
132.93
130.37
130.14
127.14
123.22
120.00
116.72
191.60
170.34
165.41
61.38
35.26
30.02
29.80
14.27
f1 (ppm)

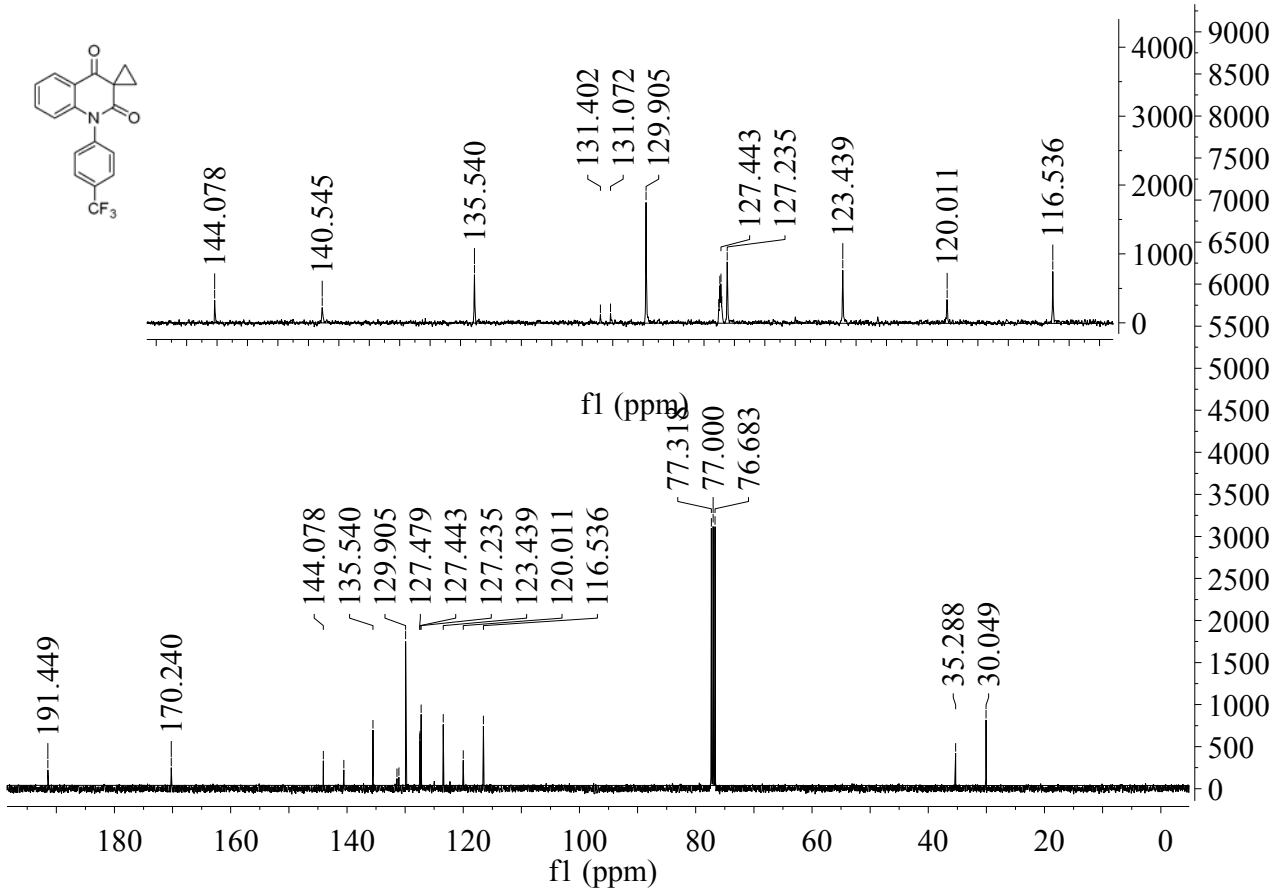
Compound **2i**


8.257
8.246
8.244
8.094
8.083
8.081
7.748
7.746
7.608
7.606
7.389
7.352
7.351
7.339
7.147
6.375
6.361
1.00
1.00
1.06
1.07
1.01
0.94
1.09
1.03
f1 (ppm)
7.260
7.748
7.608
7.606
7.352
7.351
7.339
7.147
6.375
6.361
4.131
4.119
2.132
2.120
2.089
2.078
1.085
1.073
1.062
-0.001
1.00
1.00
1.06
1.07
1.01
0.94
1.09
1.03
2.08
4.29
3.03
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

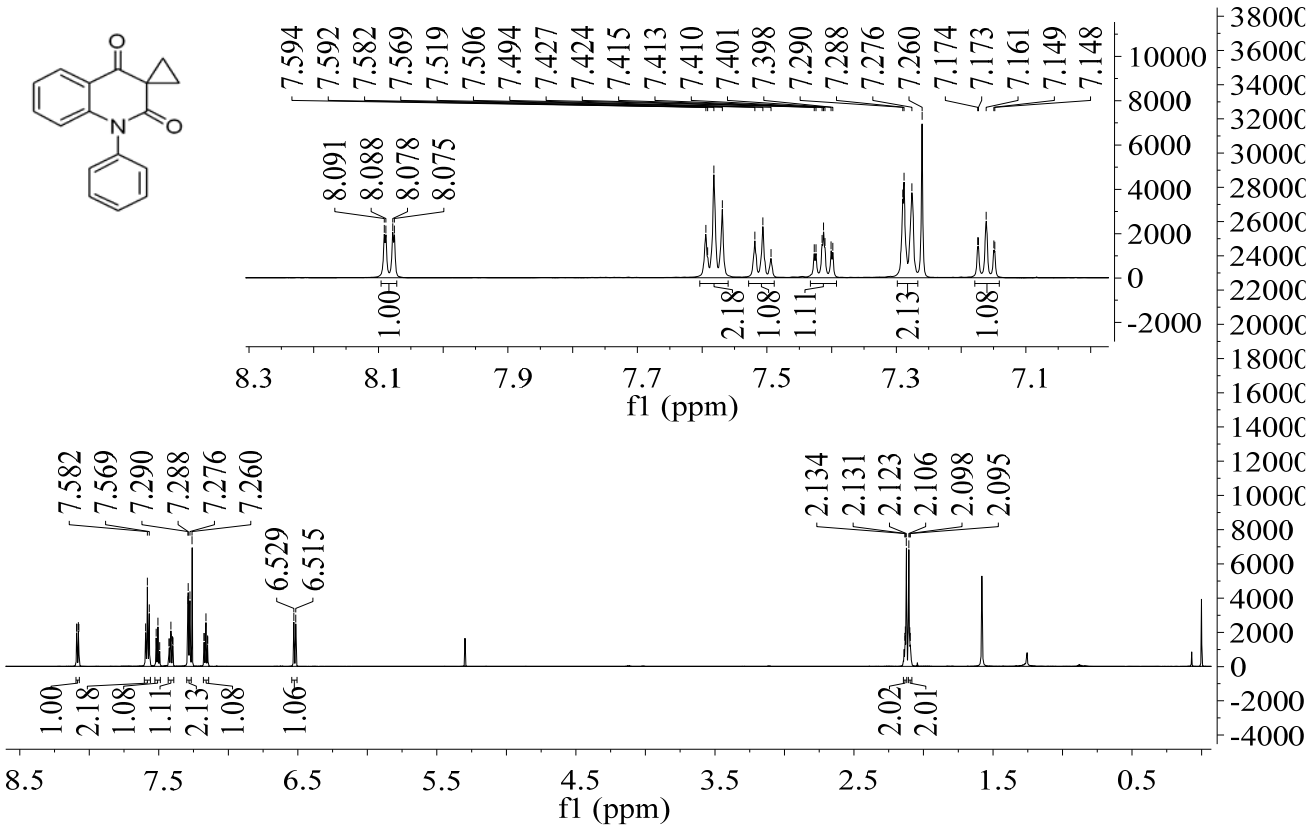

144.854
137.344
135.458
134.158
132.852
131.007
129.328
127.020
122.894
119.919
116.171
142
136
130
124
118
f1 (ppm)
77.318
77.000
76.683
191.961
170.536
164.510
144.854
137.344
135.458
134.158
132.852
131.007
129.328
127.020
122.894
116.171
61.326
35.247
29.737
29.254
13.895
200
180
160
140
120
100
80
60
40
20
0
f1 (ppm)

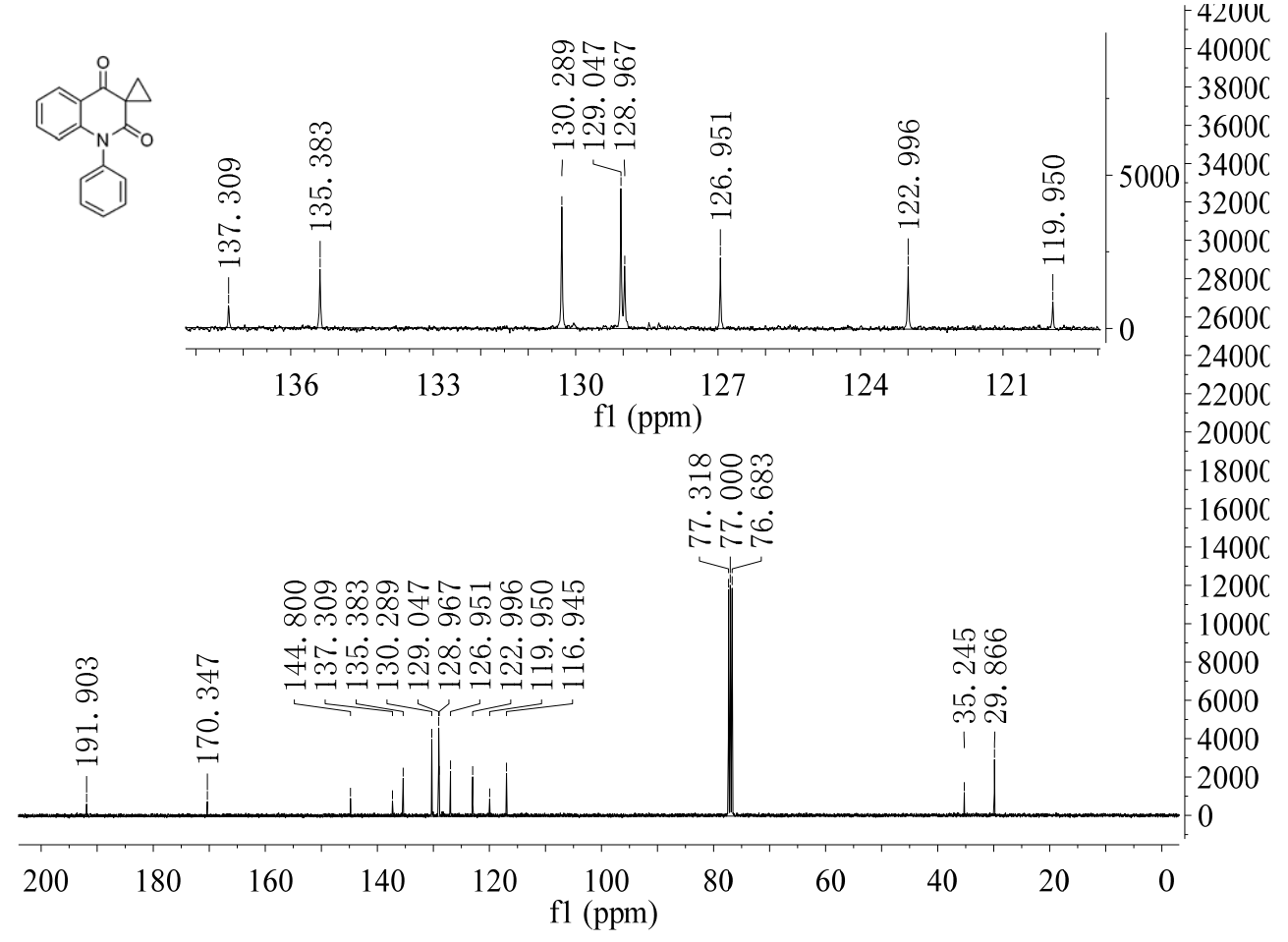
Compound **2j**


8.112
8.093
7.868
7.848
7.456
7.436
7.260
7.219
7.200
7.181
6.483
6.462
1.00
2.06
3.09
1.04
1.00
f1 (ppm)
2.168
2.155
2.143
2.112
2.100
2.087
1.733
4.13

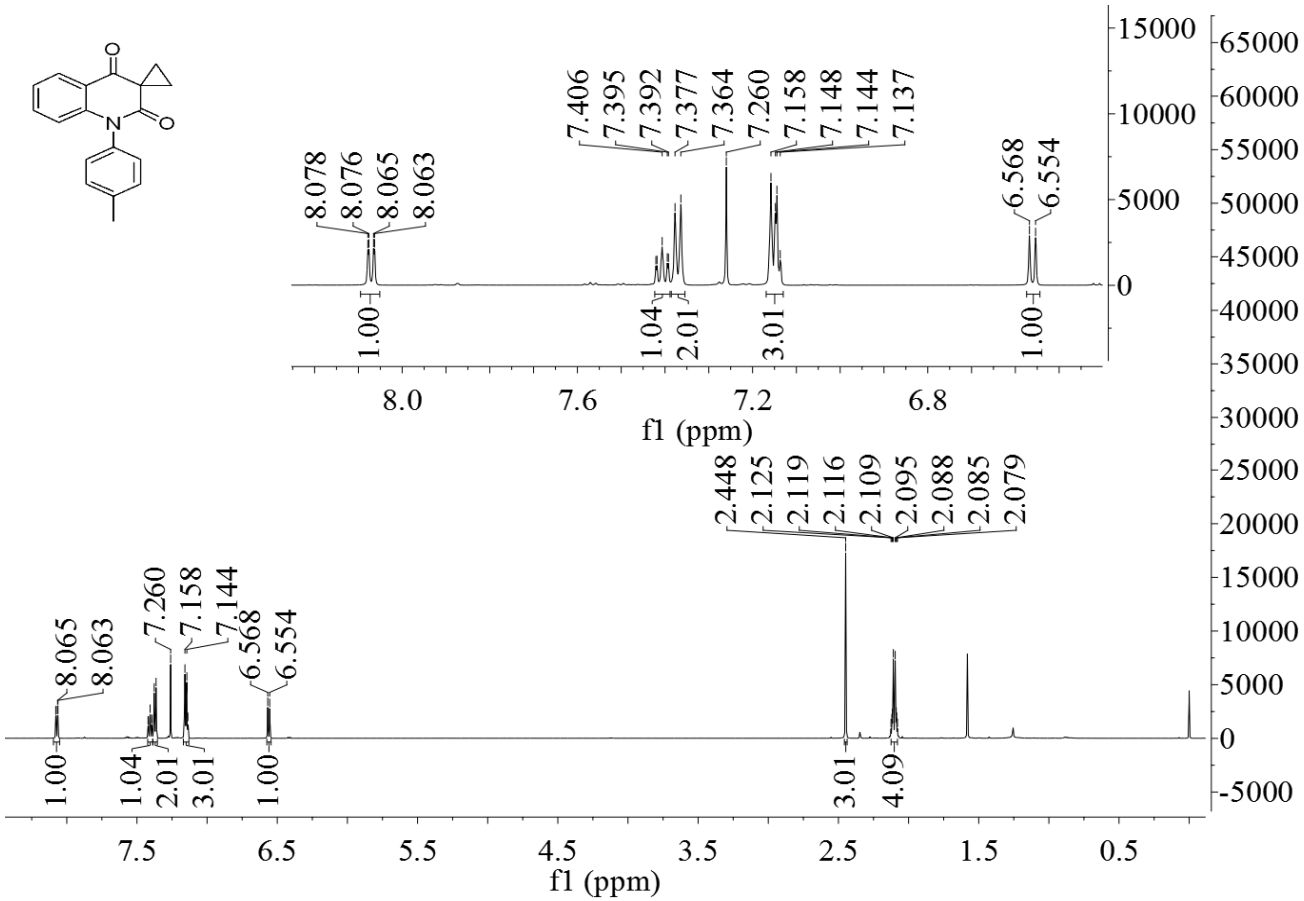

144.078
140.545
135.540
131.402
131.072
129.905
127.443
127.235
123.439
120.011
116.536
f1 (ppm)
77.318
77.000
76.683
144.078
135.540
129.905
127.479
127.443
127.235
123.439
120.011
116.536
191.449
170.240
35.288
30.049
f1 (ppm)

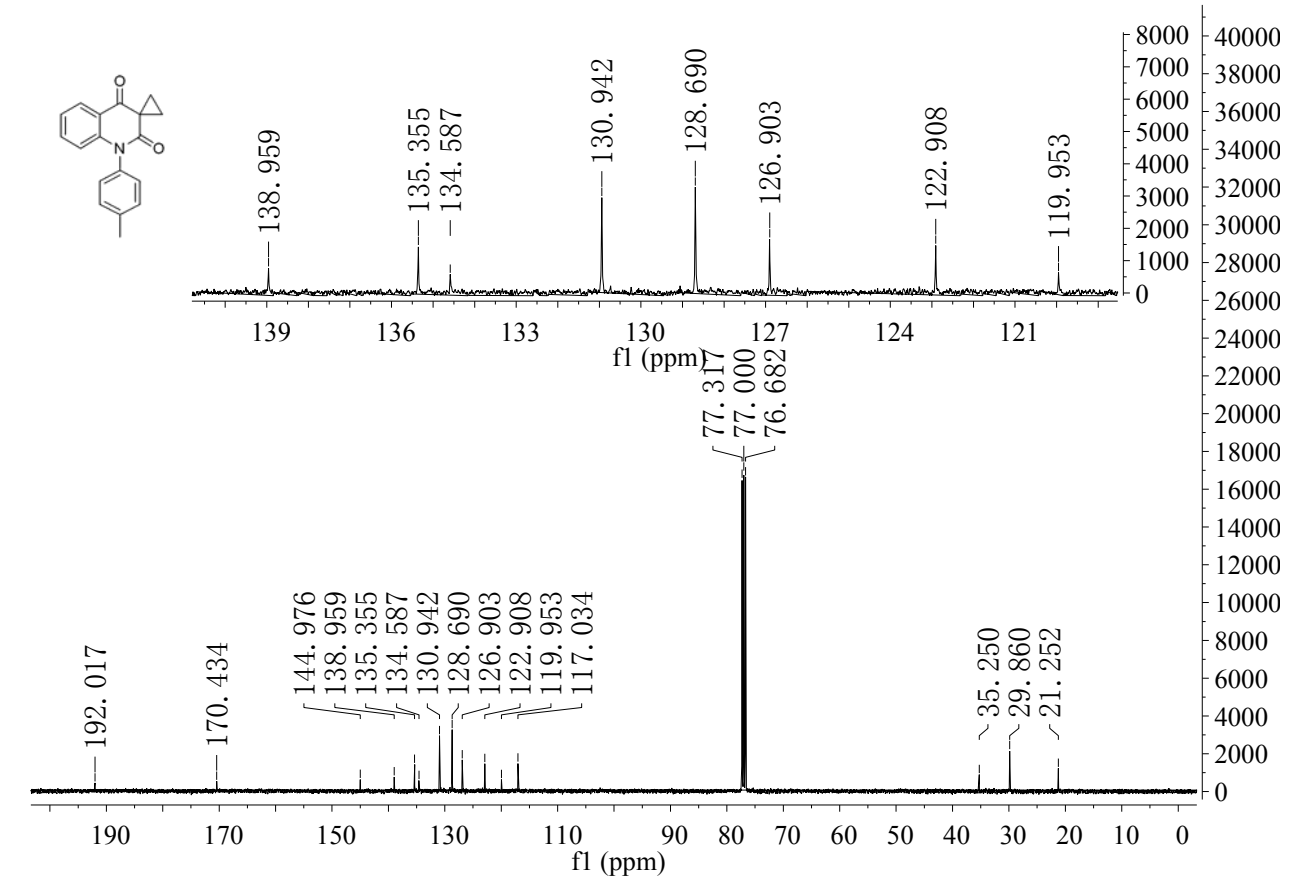
Compound **2k**


7.594
7.592
7.582
7.569
7.519
7.506
7.494
7.427
7.424
7.415
7.413
7.410
7.401
7.398
7.290
7.288
7.276
7.260
7.174
7.173
7.161
7.149
7.148
8.091
8.088
8.078
8.075
1.00
2.18
1.08
1.11
2.13
1.08
f1 (ppm)
7.582
7.569
7.290
7.288
7.276
7.260
6.529
6.515
2.134
2.131
2.123
2.106
2.098
2.095
1.00
2.18
1.08
1.11
2.13
1.08
1.06
2.02
2.01
f1 (ppm)

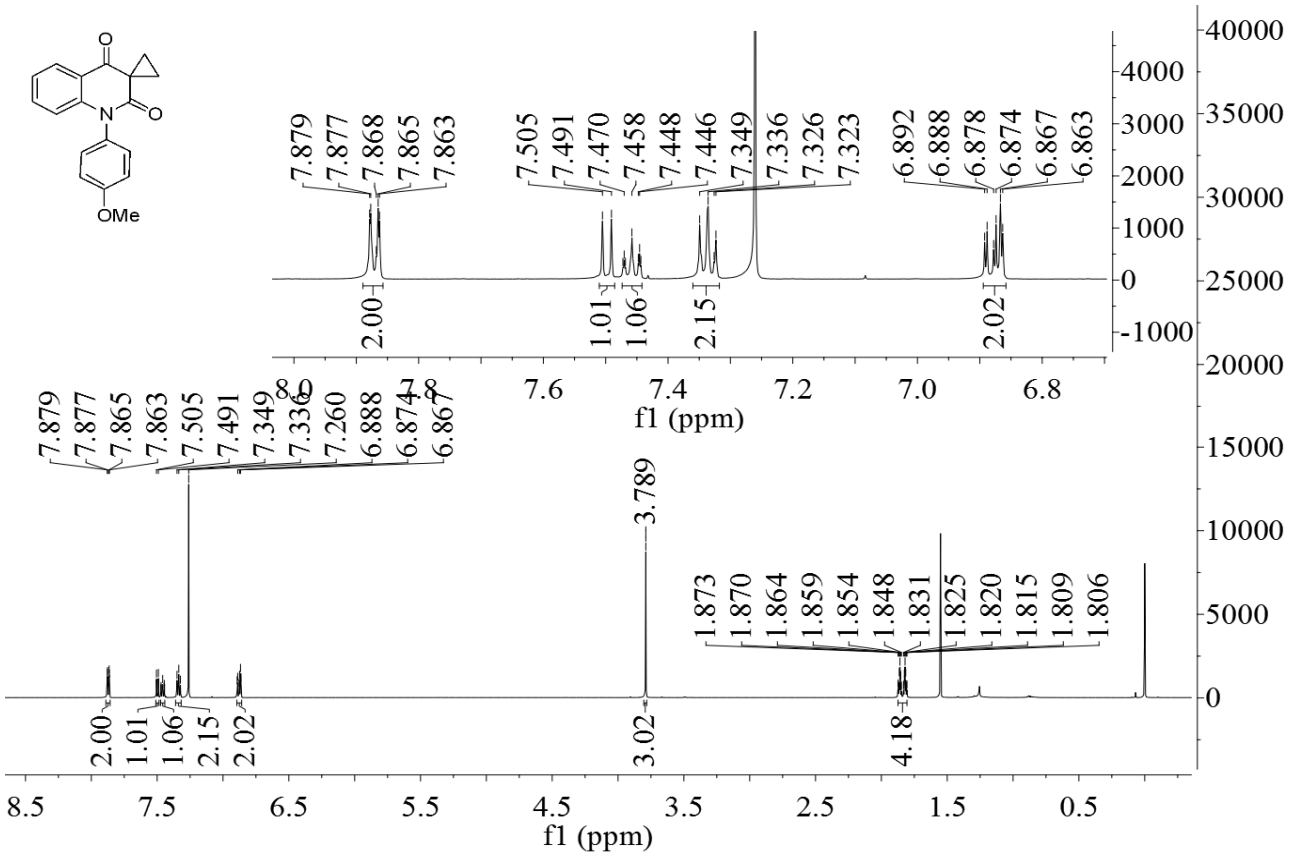

137.309
135.383
130.289
129.047
128.967
126.951
122.996
119.950
f1 (ppm)
191.903
170.347
144.800
137.309
135.383
130.289
129.047
128.967
126.951
122.996
119.950
116.945
77.318
77.000
76.683
35.245
29.866
f1 (ppm)

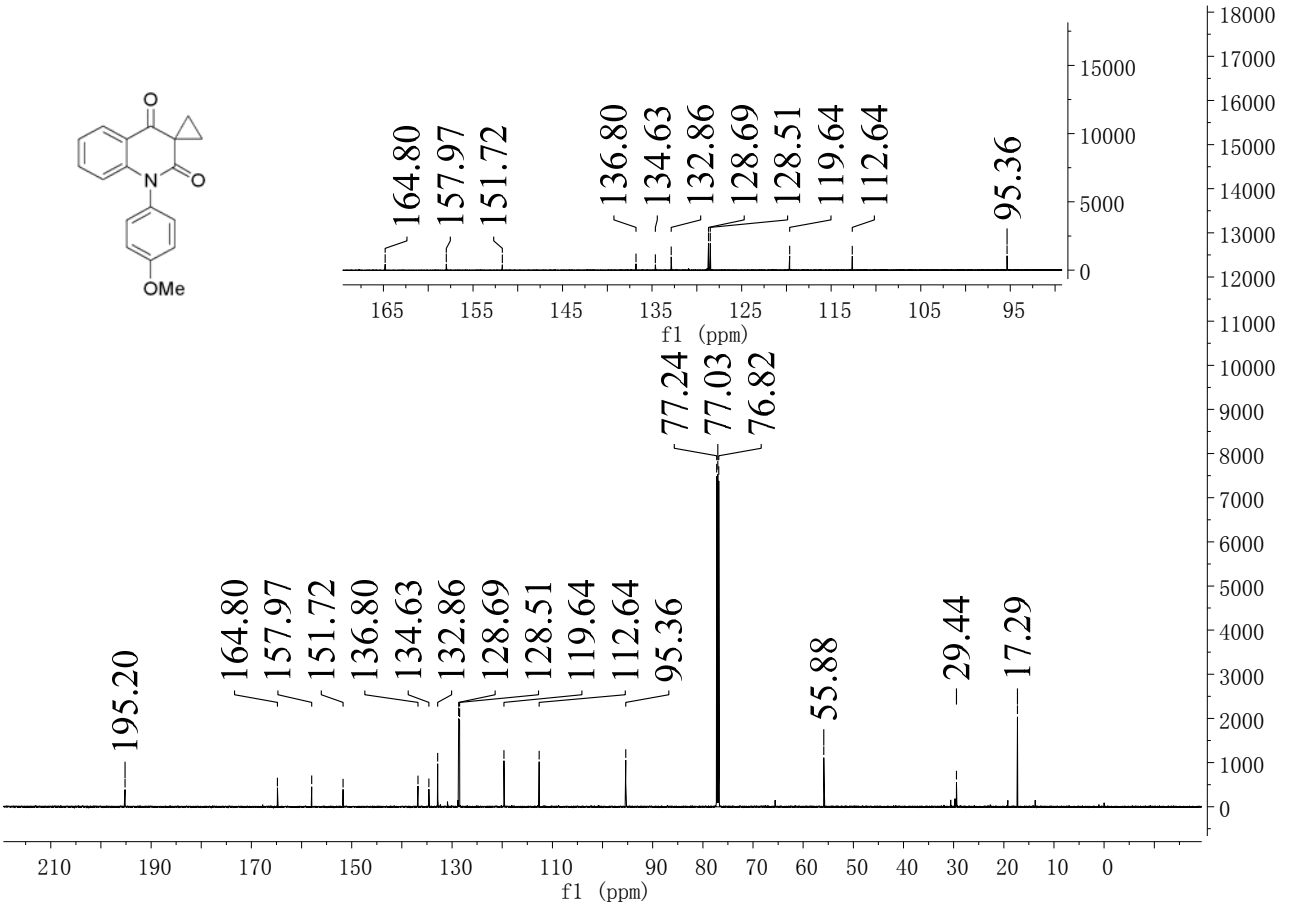
Compound **2l**


8.078
8.076
8.065
8.063
7.406
7.395
7.392
7.377
7.364
7.260
7.158
7.148
7.144
7.137
6.568
6.554
1.00
1.04
2.01
3.01
1.00
8.0
7.6
7.2
6.8
f1 (ppm)
2.448
2.125
2.119
2.116
2.109
2.095
2.088
2.085
2.079
8.065
8.063
7.260
7.158
7.144
6.568
6.554
1.00
1.04
2.01
3.01
1.00
3.01
4.09
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

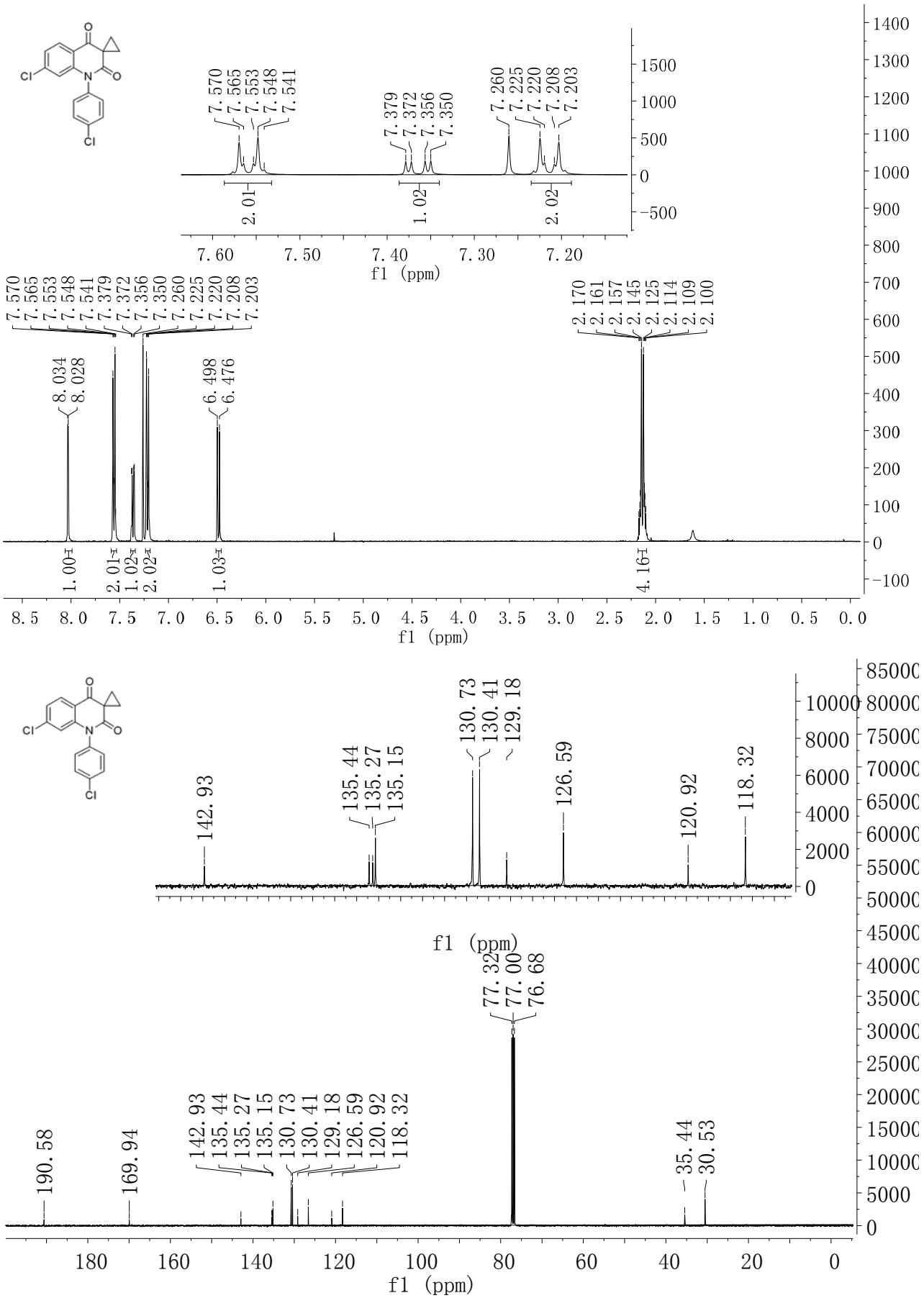

138. 959
135. 355
134. 587
130. 942
128. 690
126. 903
122. 908
119. 953
139
136
133
130
127
124
121
f1 (ppm)
77. 317
77. 000
76. 682
192. 017
170. 434
144. 976
138. 959
135. 355
134. 587
130. 942
128. 690
126. 903
122. 908
119. 953
117. 034
35. 250
29. 860
21. 252
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

Compound **2o**

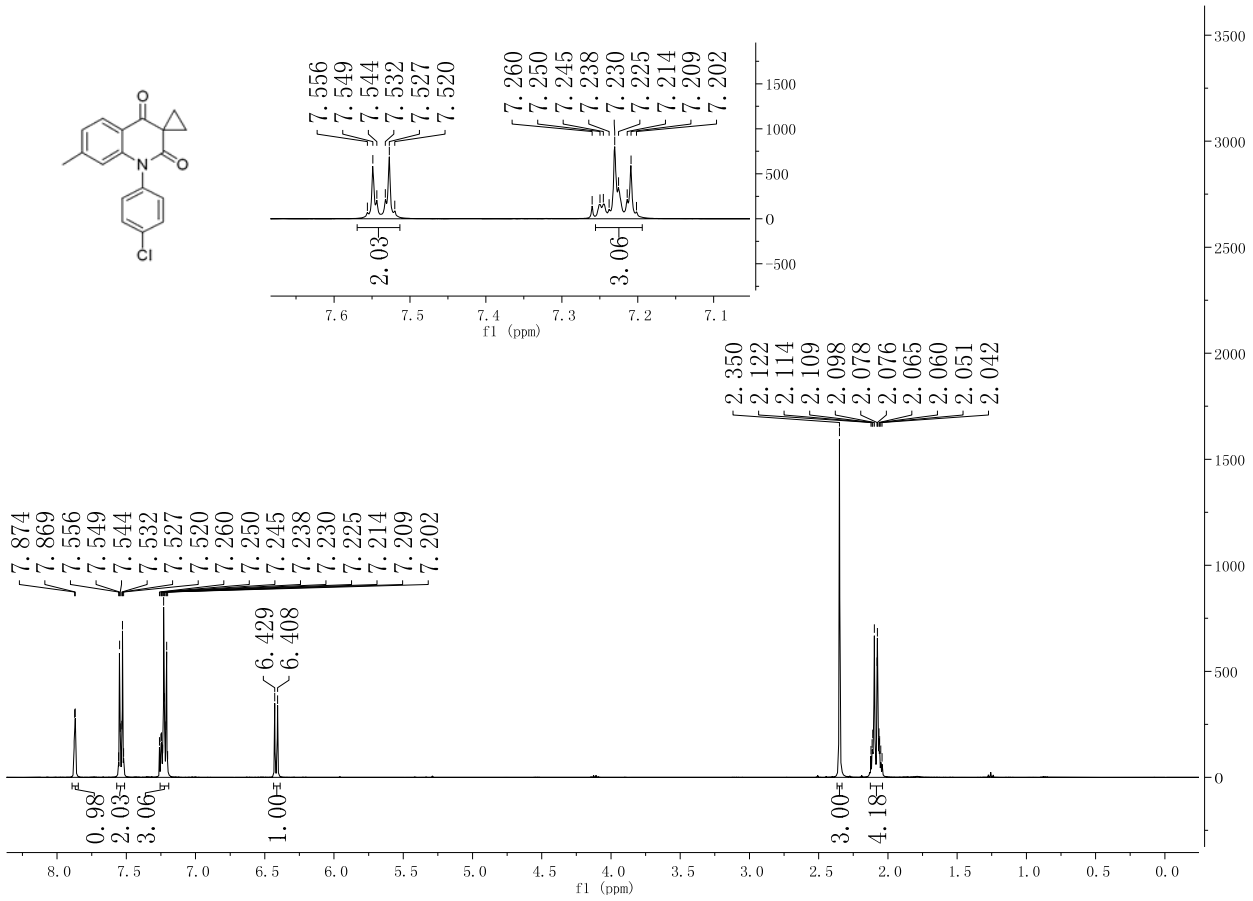

OMe
7.879
7.877
7.868
7.865
7.863
7.505
7.491
7.470
7.458
7.448
7.446
7.349
7.336
7.326
7.323
6.892
6.888
6.878
6.874
6.867
6.863
2.00
1.01
1.06
2.15
2.02
f1 (ppm)
3.789
1.873
1.870
1.864
1.859
1.854
1.848
1.831
1.825
1.820
1.815
1.809
1.806
3.02
4.18

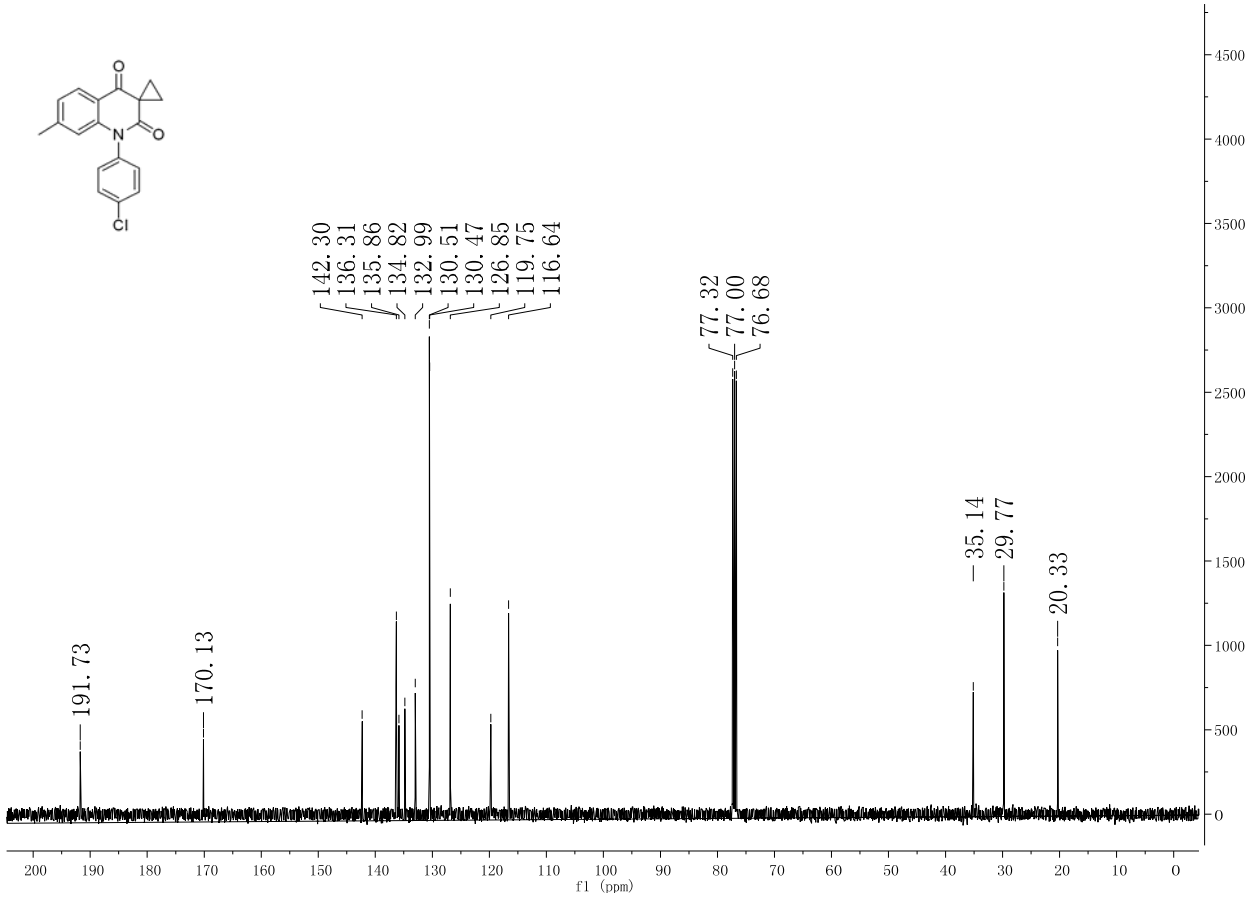

OMe
164.80
157.97
151.72
136.80
134.63
132.86
128.69
128.51
119.64
112.64
95.36
f1 (ppm)
77.24
77.03
76.82
195.20
55.88
29.44
17.29

Compound **2r**

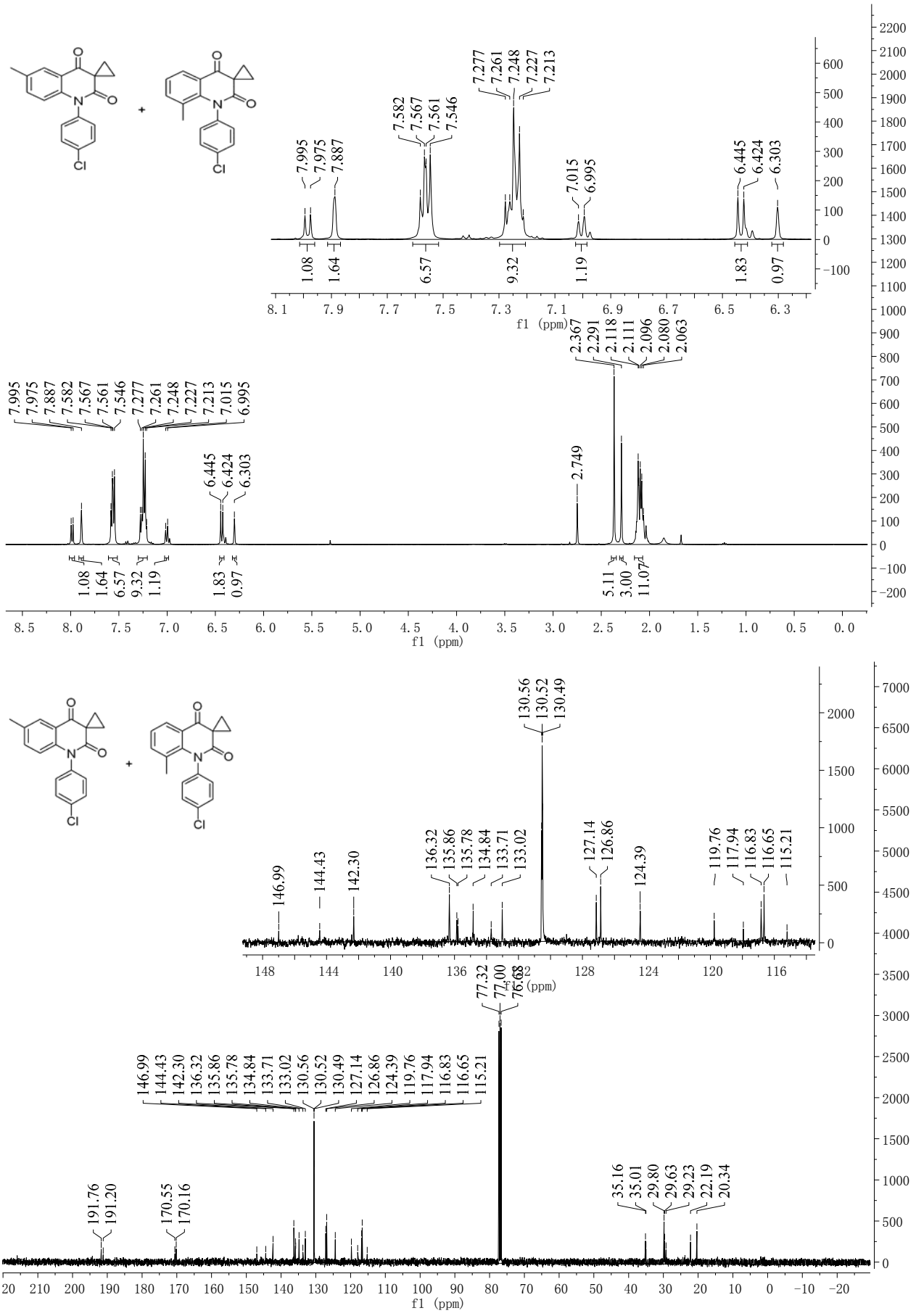

7.570
7.565
7.553
7.548
7.541
7.379
7.372
7.356
7.350
7.260
7.225
7.220
7.208
7.203
2.01
1.02
2.02
f1 (ppm)
8.034
8.028
6.498
6.476
2.170
2.161
2.157
2.145
2.125
2.114
2.109
2.100
1.00
2.01
1.02
2.02
1.03
4.16
142.93
135.44
135.27
135.15
130.73
130.41
129.18
126.59
120.92
118.32
77.32
77.00
76.68
190.58
169.94
35.44
30.53

Compound **2s**

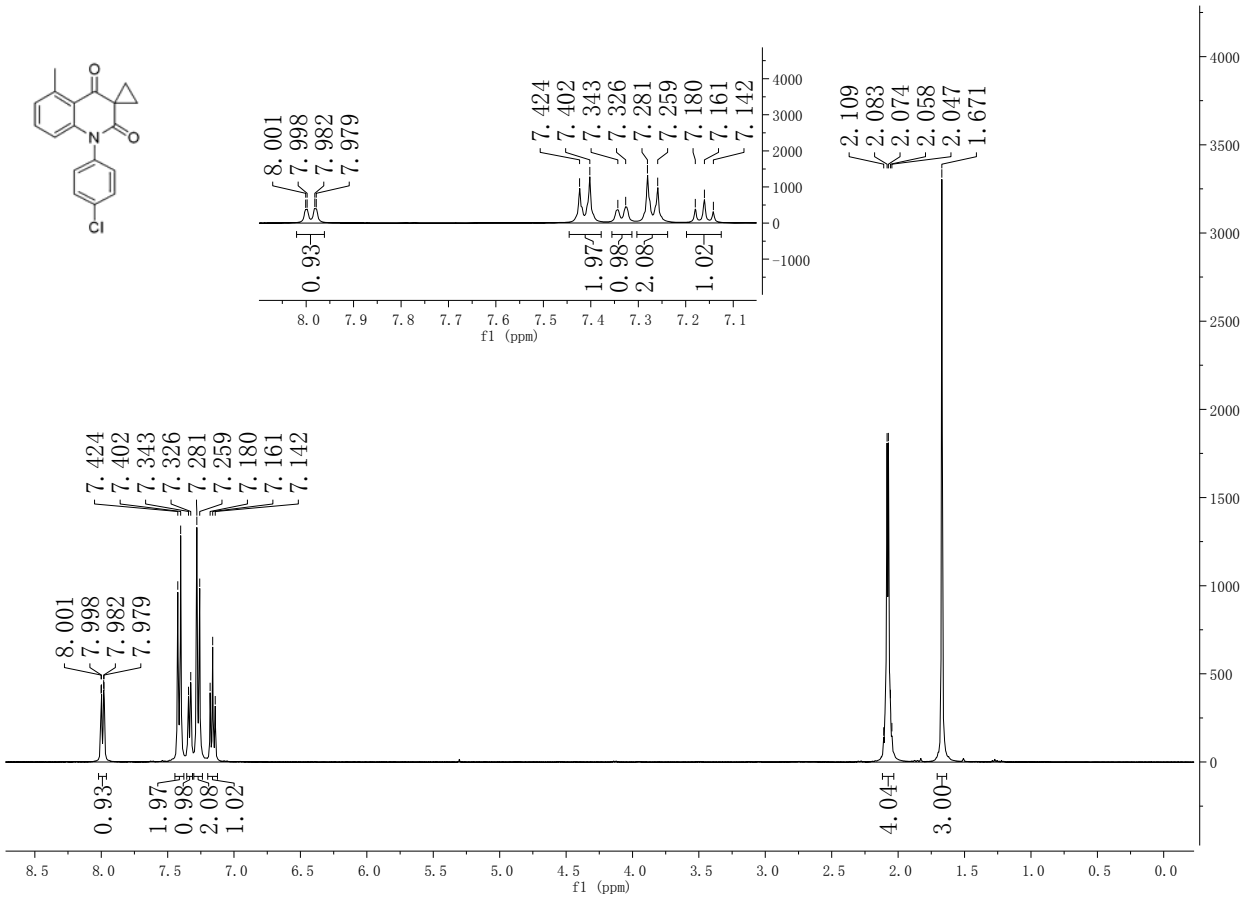

7.556
7.549
7.544
7.532
7.527
7.520
7.260
7.250
7.245
7.238
7.230
7.225
7.214
7.209
7.202
2.03
3.06
f1 (ppm)
2.350
2.122
2.114
2.109
2.098
2.078
2.076
2.065
2.060
2.051
2.042
7.874
7.869
7.556
7.549
7.544
7.532
7.527
7.520
7.260
7.250
7.245
7.238
7.230
7.225
7.214
7.209
7.202
6.429
6.408
0.98
2.03
3.06
1.00
3.00
4.18
f1 (ppm)

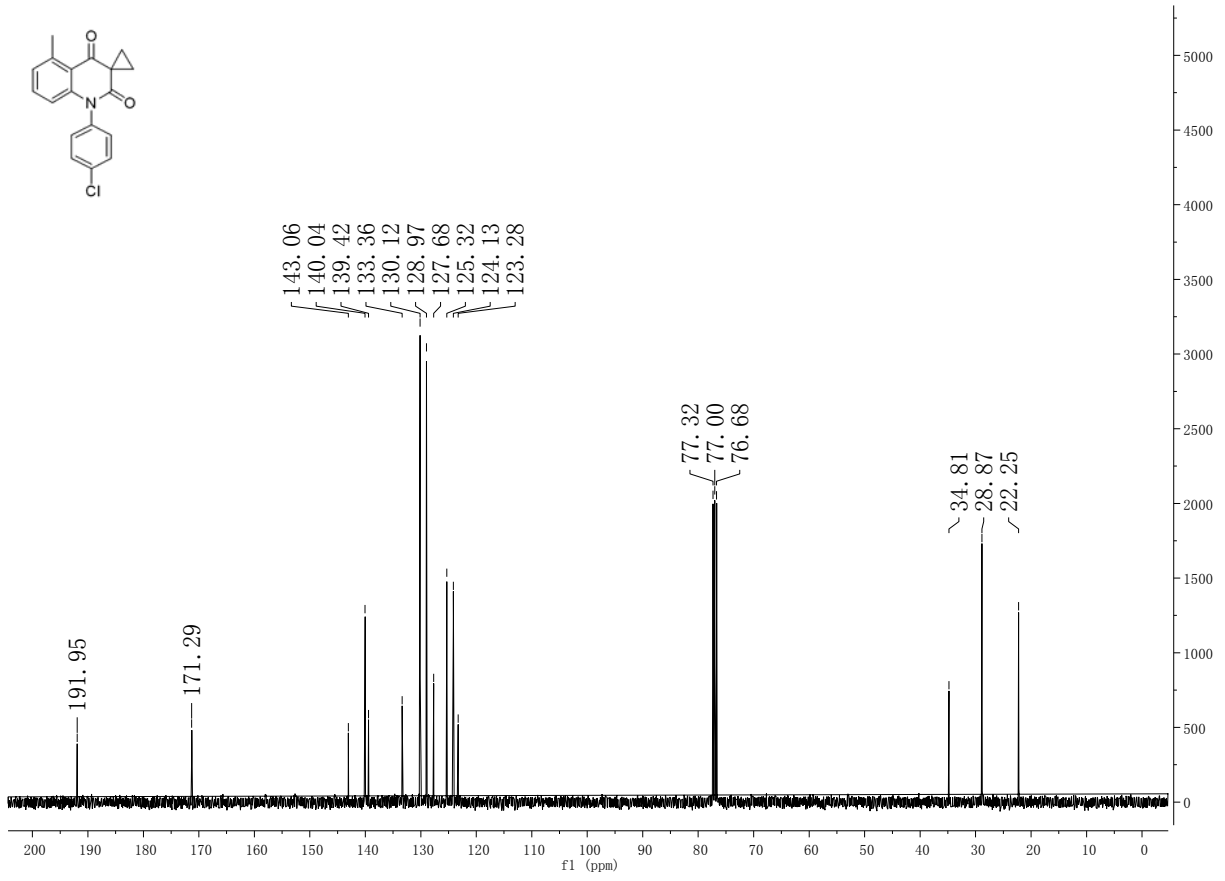

142.30
136.31
135.86
134.82
132.99
130.51
130.47
126.85
119.75
116.64
77.32
77.00
76.68
35.14
29.77
20.33
191.73
170.13
f1 (ppm)

Compound **2ta+2tb**

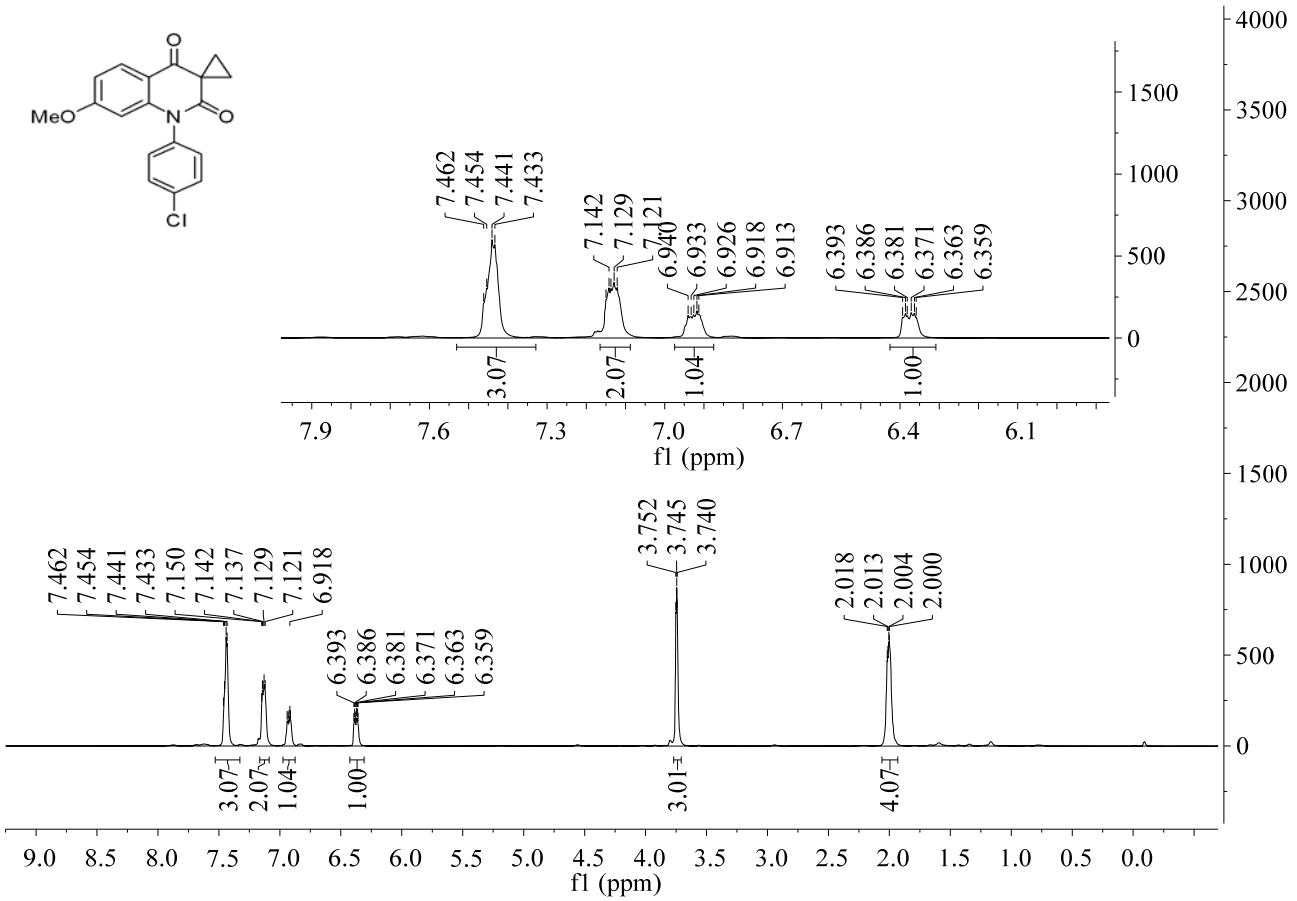


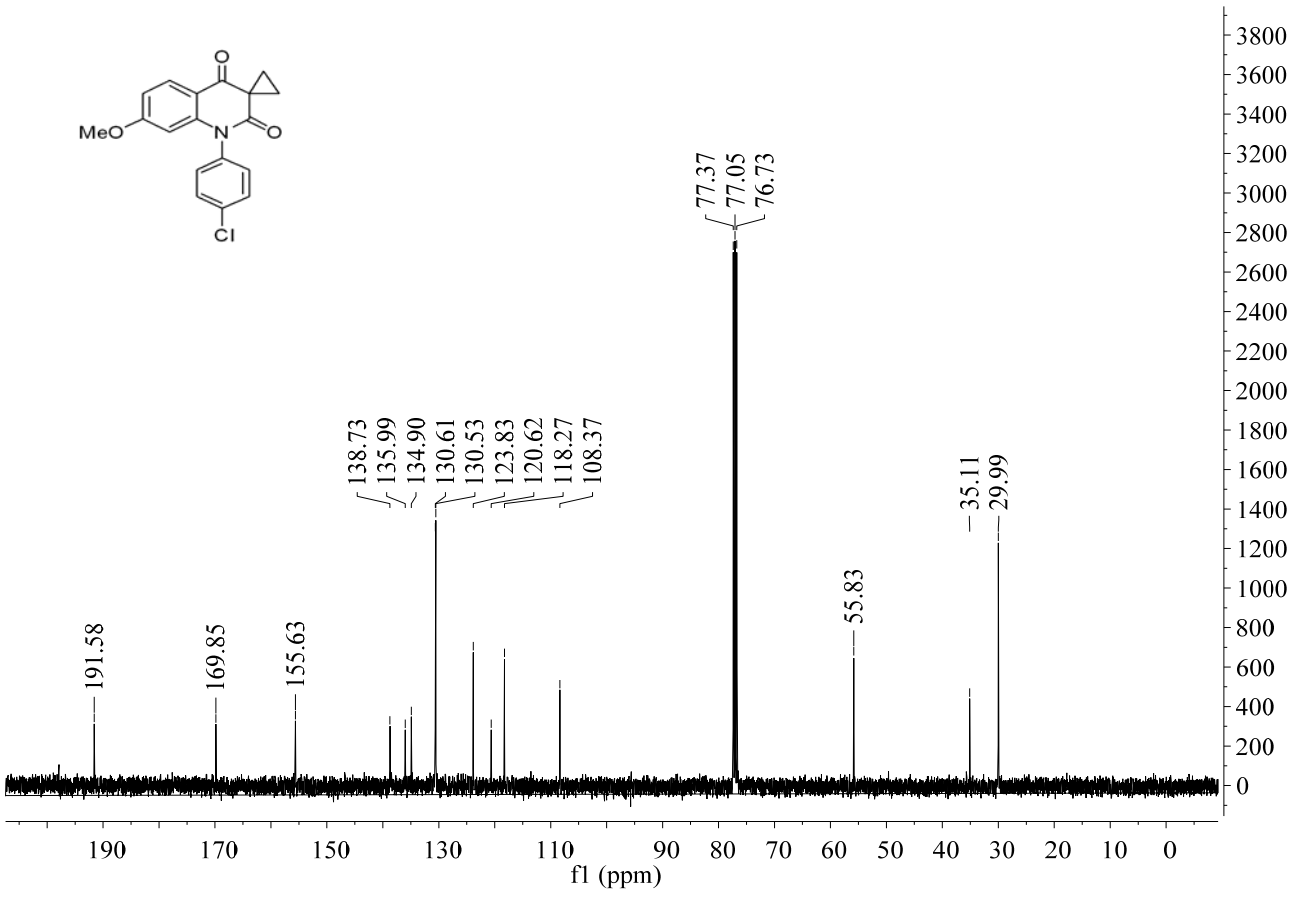
Compound **2u**


8.001
7.998
7.982
7.979
7.424
7.402
7.343
7.326
7.281
7.259
7.180
7.161
7.142
2.109
2.083
2.074
2.058
2.047
1.671
0.93
1.97
0.98
2.08
1.02
4.04
3.00
f1 (ppm)

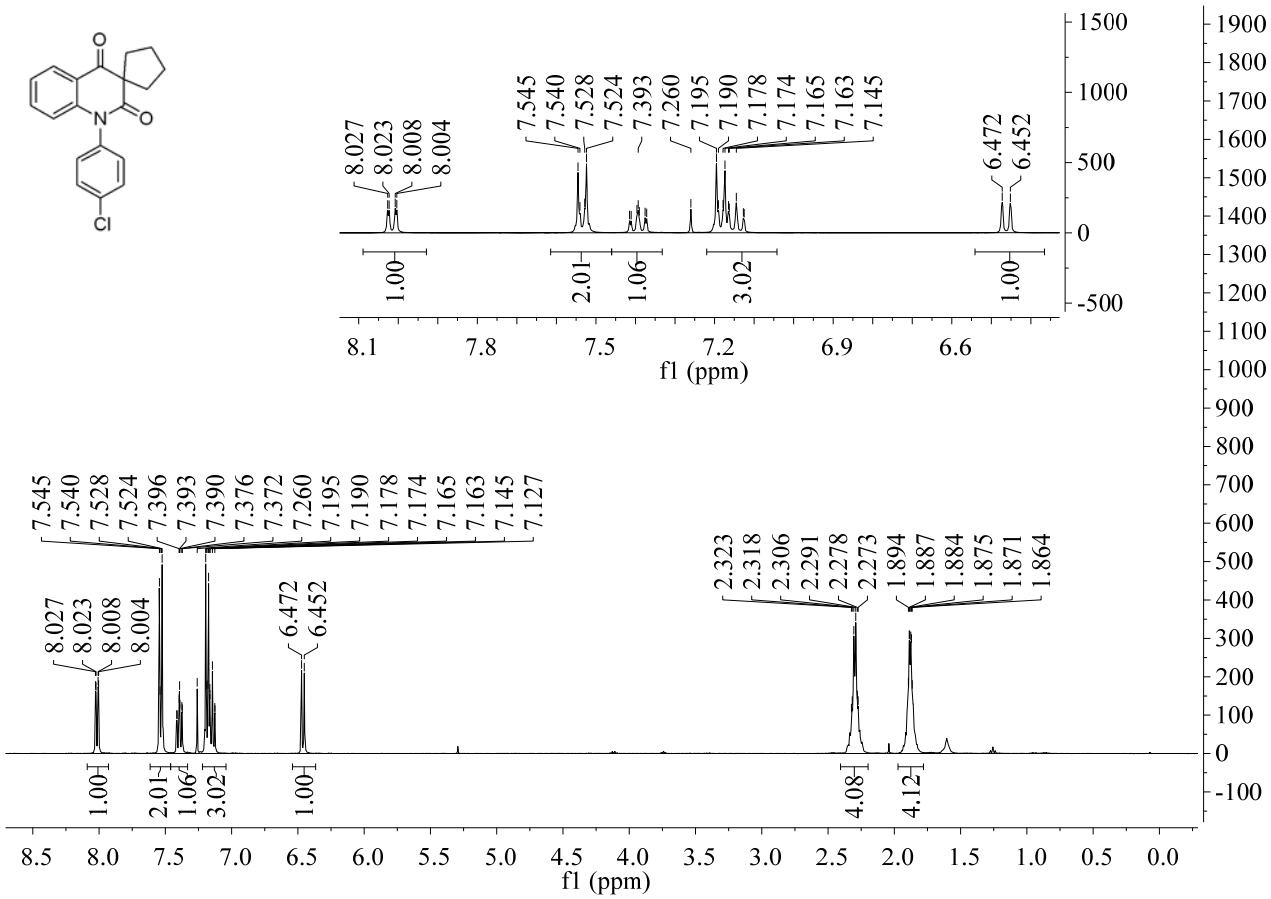

143.06
140.04
139.42
133.36
130.12
128.97
127.68
125.32
124.13
123.28
77.32
77.00
76.68
34.81
28.87
22.25
191.95
171.29
f1 (ppm)

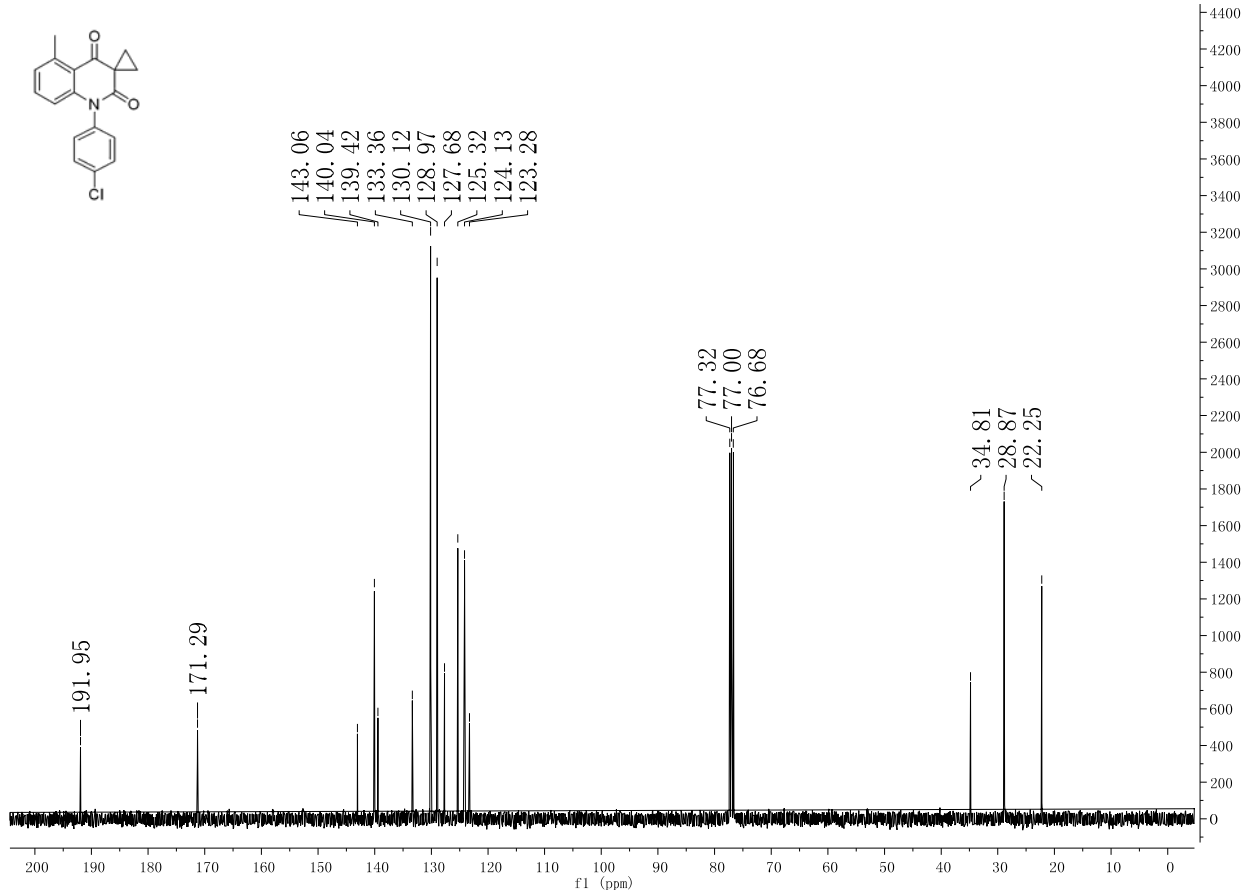
Compound **2v**


MeO
N
O
Cl
7.462
7.454
7.441
7.433
7.142
7.129
7.121
6.940
6.933
6.926
6.918
6.913
6.393
6.386
6.381
6.371
6.363
6.359
3.07
2.07
1.04
1.00
f1 (ppm)
7.462
7.454
7.441
7.433
7.150
7.142
7.137
7.129
7.121
6.918
6.393
6.386
6.381
6.371
6.363
6.359
3.752
3.745
3.740
2.018
2.013
2.004
2.000
3.07
2.07
1.04
1.00
3.01
4.07
f1 (ppm)

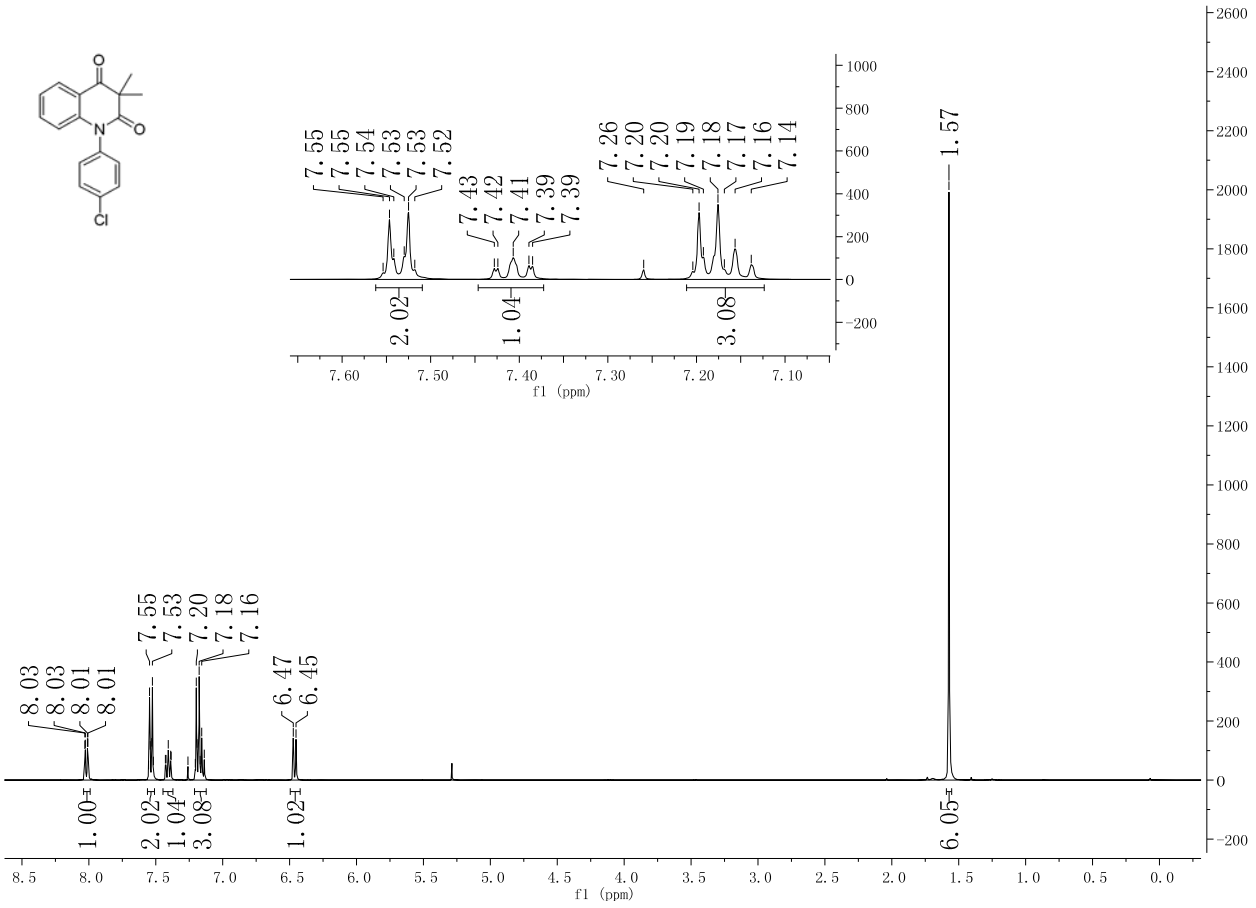

MeO
N
O
Cl
77.37
77.05
76.73
138.73
135.99
134.90
130.61
130.53
123.83
120.62
118.27
108.37
191.58
169.85
155.63
55.83
35.11
29.99
f1 (ppm)

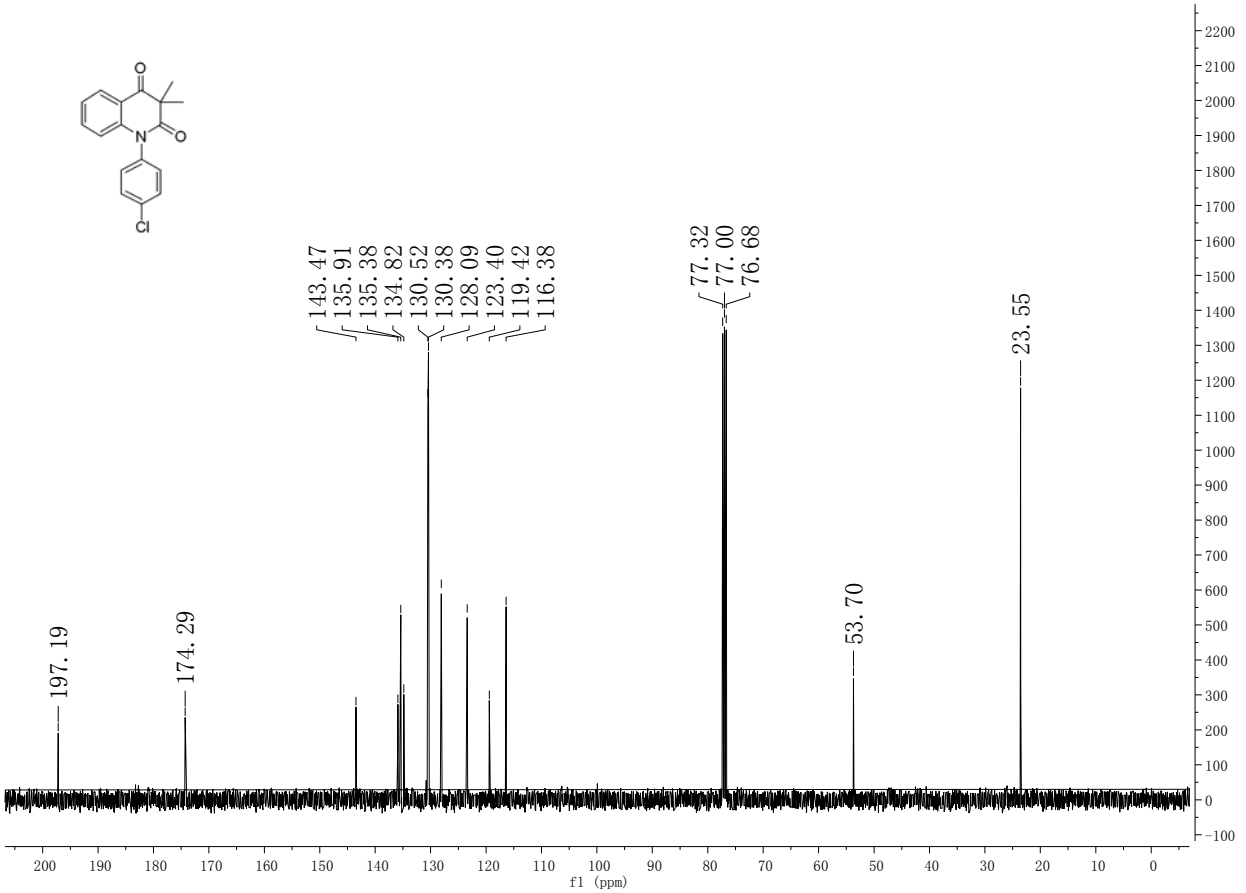
Compound **2w**


8.027
8.023
8.008
8.004
7.545
7.540
7.528
7.524
7.396
7.393
7.390
7.376
7.372
7.260
7.195
7.190
7.178
7.174
7.165
7.163
7.145
7.127
6.472
6.452
2.323
2.318
2.306
2.291
2.278
2.273
1.894
1.887
1.884
1.875
1.871
1.864
1.00
2.01
1.06
3.02
1.00
4.08
4.12
f1 (ppm)

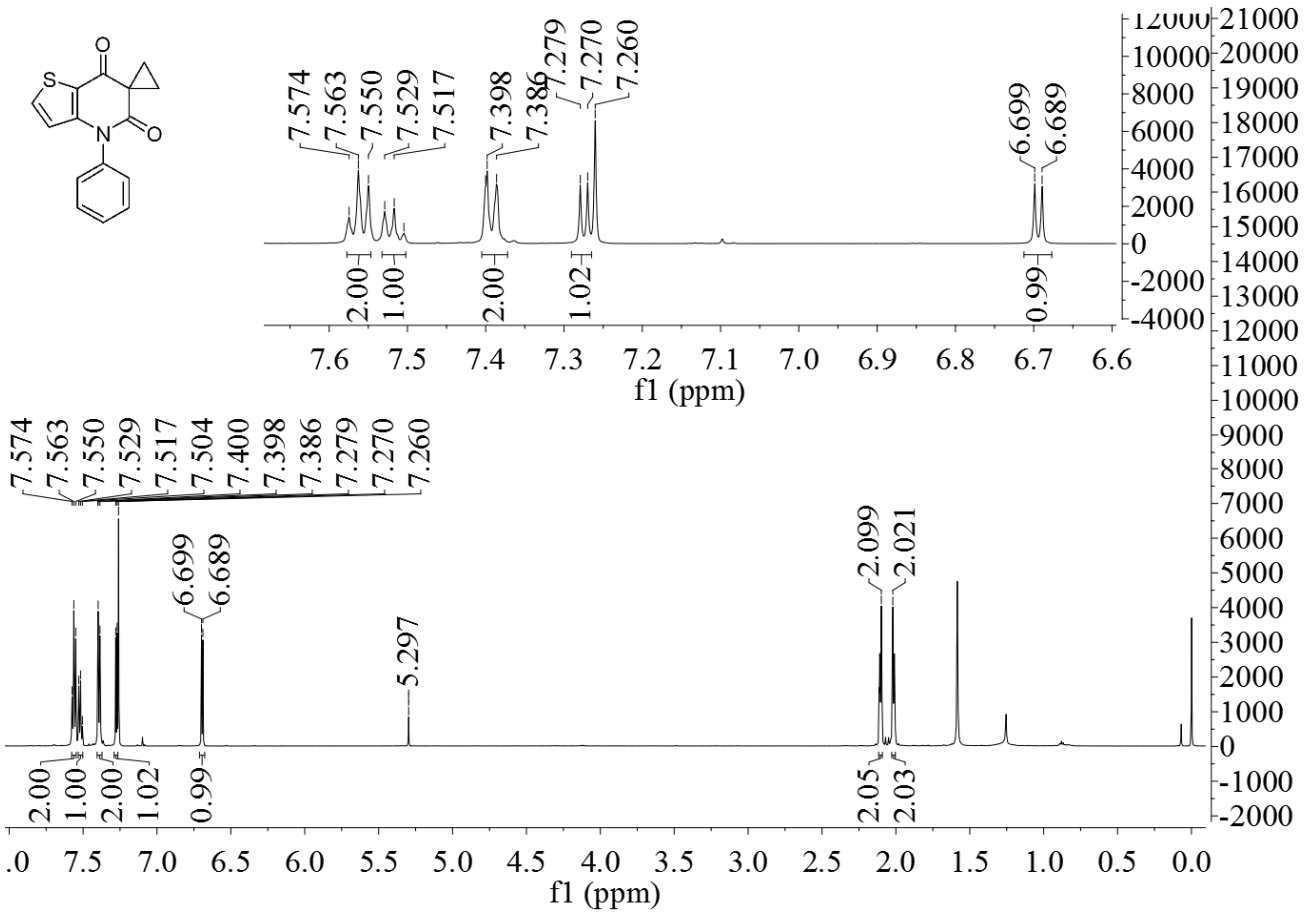

143.06
140.04
139.42
133.36
130.12
128.97
127.68
125.32
124.13
123.28
77.32
77.00
76.68
34.81
28.87
22.25
191.95
171.29
f1 (ppm)

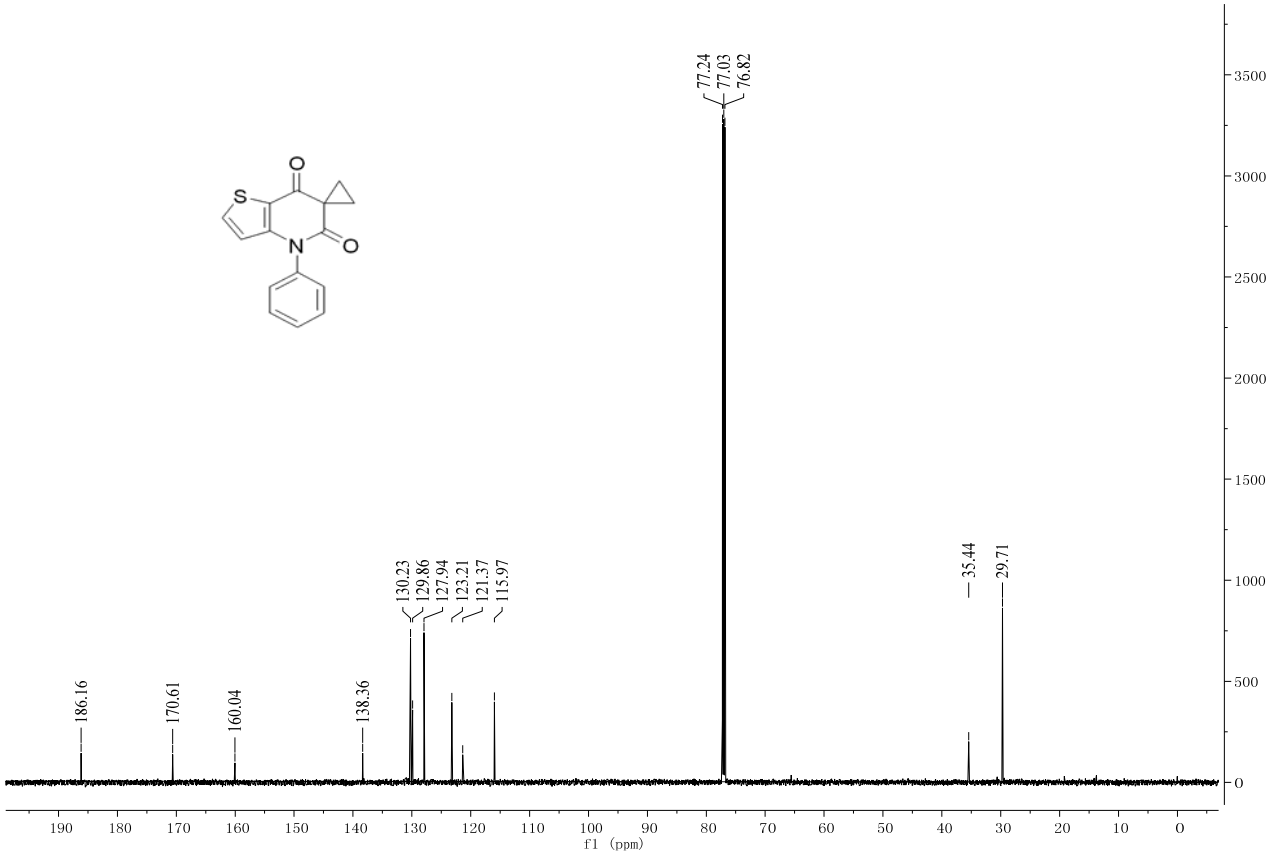
Compound **2x**


7.55
7.55
7.54
7.53
7.53
7.52
7.43
7.42
7.41
7.39
7.39
7.26
7.20
7.20
7.19
7.18
7.17
7.16
7.14
1.57
2.02
1.04
3.08
f1 (ppm)
8.03
8.03
8.01
8.01
7.55
7.53
7.20
7.18
7.16
6.47
6.45
1.00
2.02
1.04
3.08
1.02
6.05
f1 (ppm)

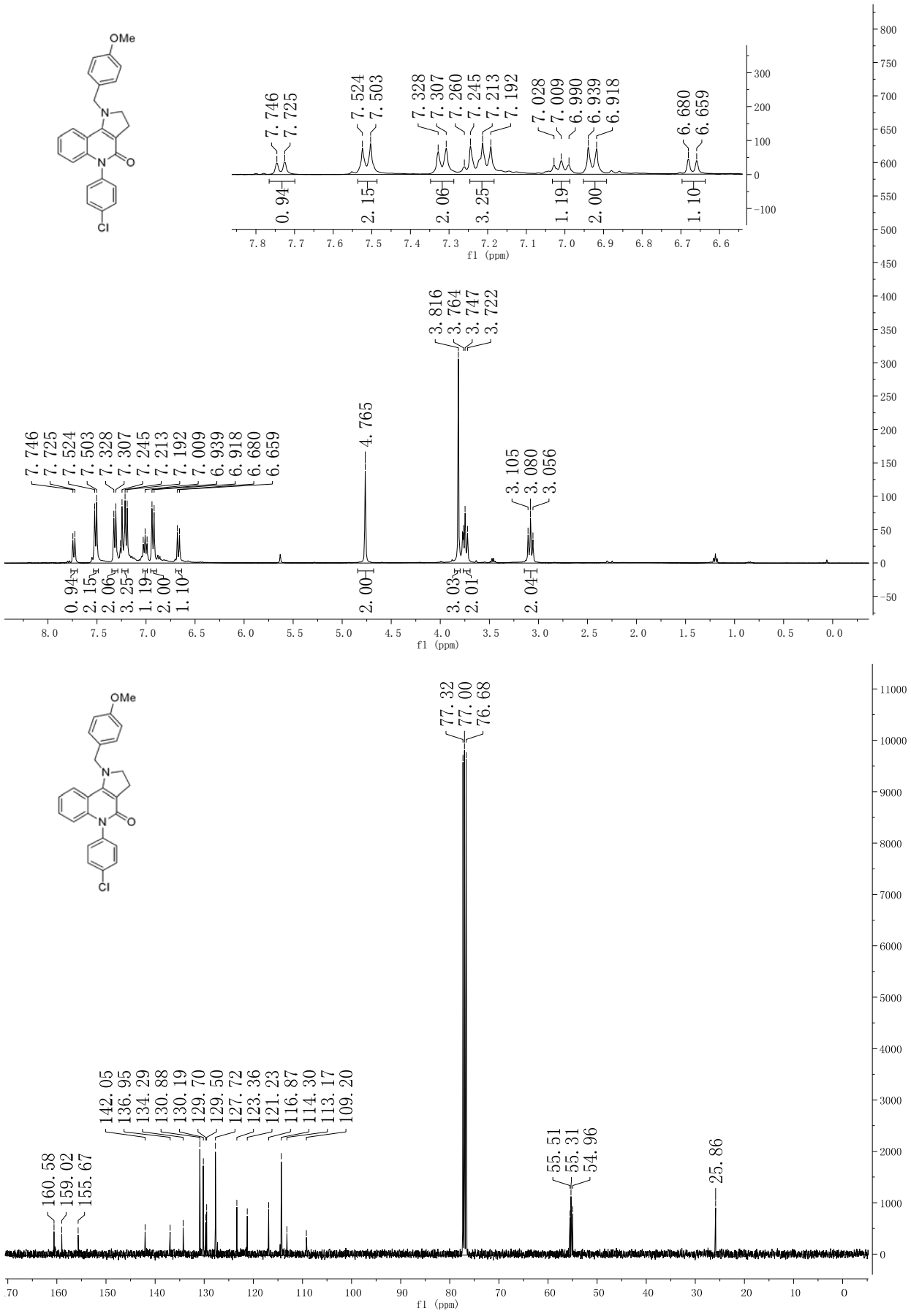

143.47
135.91
135.38
134.82
130.52
130.38
128.09
123.40
119.42
116.38
77.32
77.00
76.68
23.55
53.70
197.19
174.29
f1 (ppm)

Compound **2z**

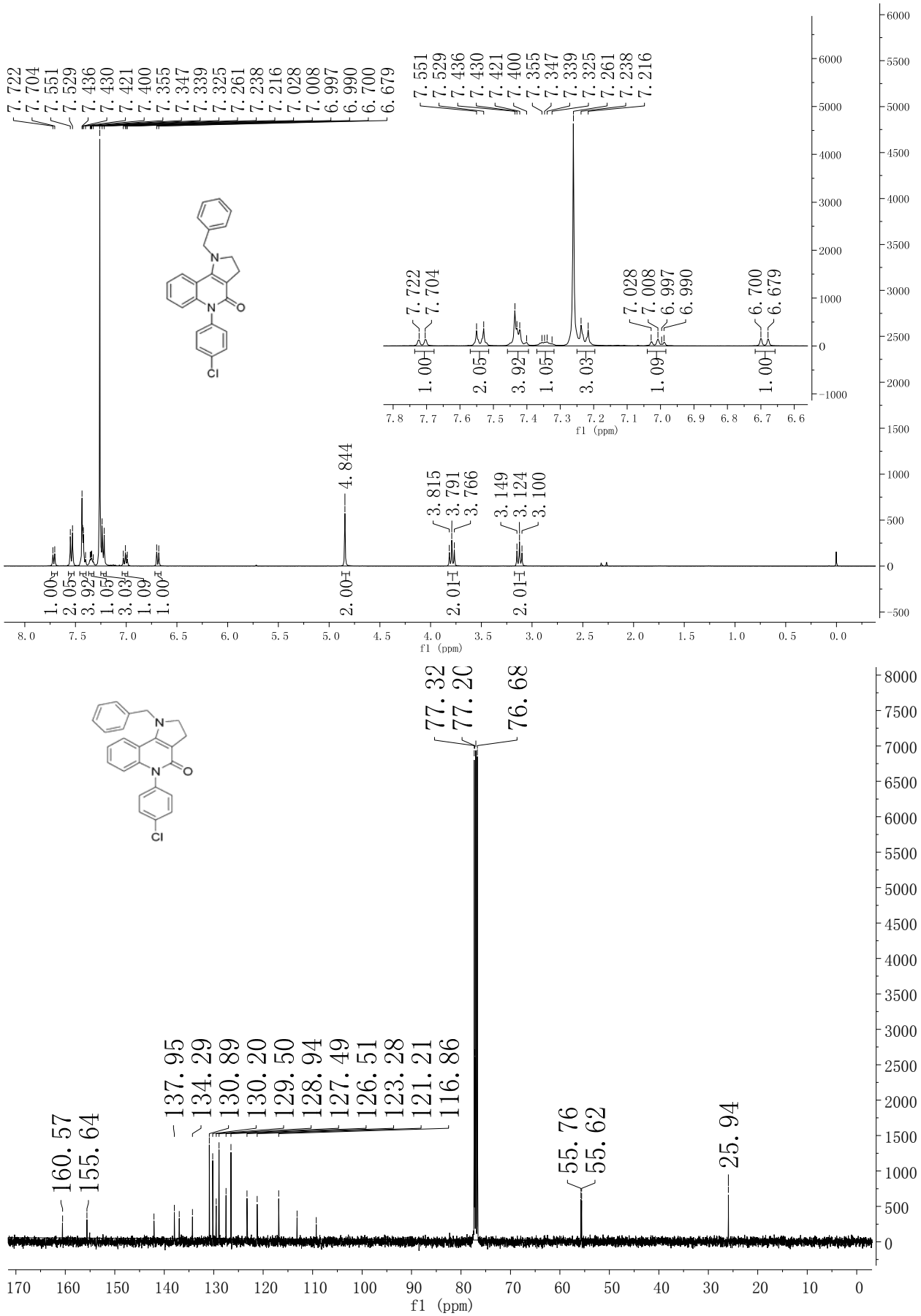

7.574
7.563
7.550
7.529
7.517
7.504
7.400
7.398
7.386
7.279
7.270
7.260
6.699
6.689
5.297
2.099
2.021
2.00
1.00
2.00
1.02
0.99
2.05
2.03
f1 (ppm)


77.24
77.03
76.82
130.23
129.86
127.94
123.21
121.37
115.97
186.16
170.61
160.04
138.36
35.44
29.71
f1 (ppm)

Compound **3a**

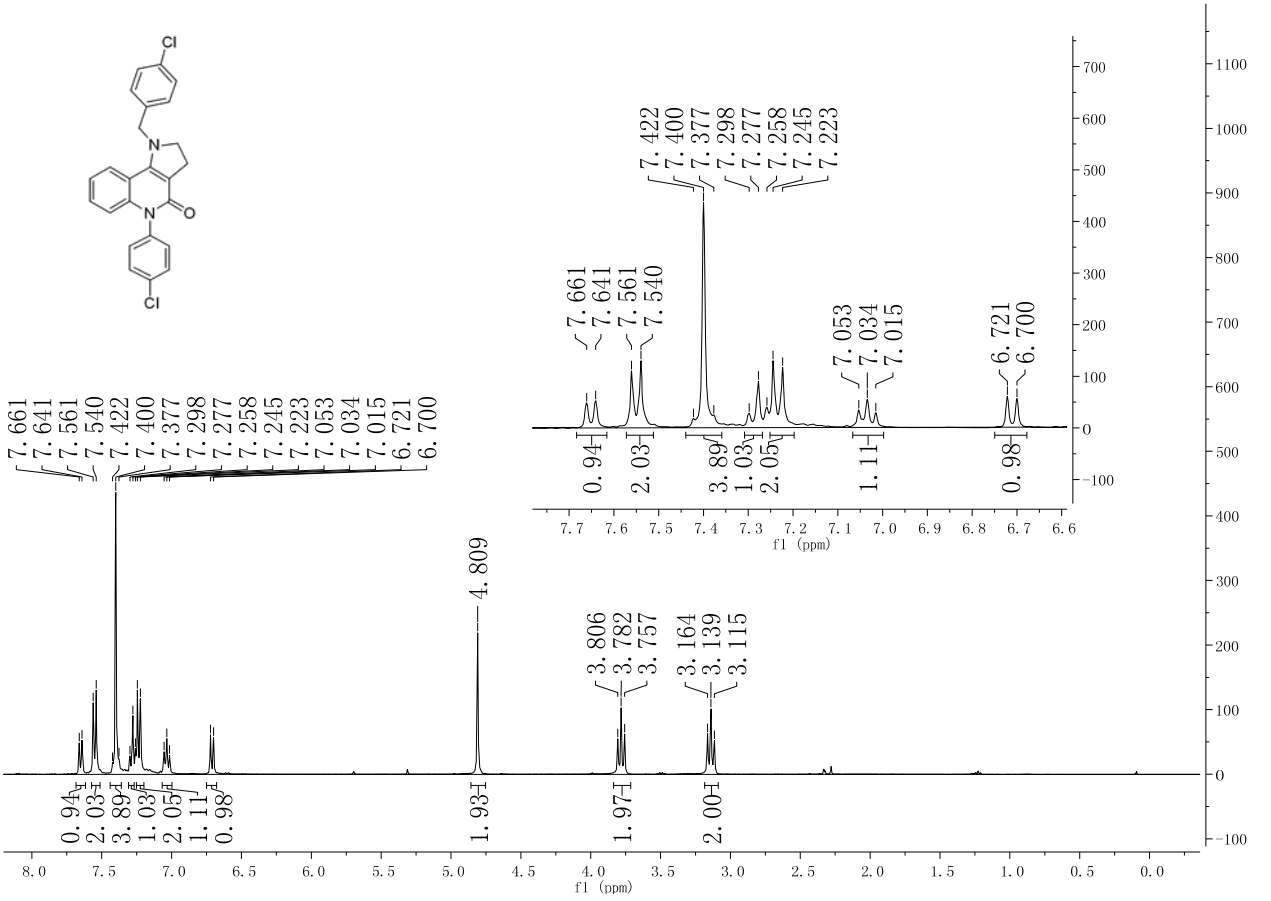

OMe
N
O
Cl
7.746
7.725
7.524
7.503
7.328
7.307
7.260
7.245
7.213
7.192
7.028
7.009
6.990
6.939
6.918
6.680
6.659
0.94
2.15
2.06
3.25
1.19
2.00
1.10
f1 (ppm)
3.816
3.764
3.747
3.722
4.765
3.105
3.080
3.056
0.94
2.15
2.06
3.25
1.19
2.00
1.10
2.00
3.03
2.01
2.04
f1 (ppm)
77.32
77.00
76.68
142.05
136.95
134.29
130.88
130.19
129.70
129.50
127.72
123.36
121.23
116.87
114.30
113.17
109.20
160.58
159.02
155.67
55.51
55.31
54.96
25.86
f1 (ppm)

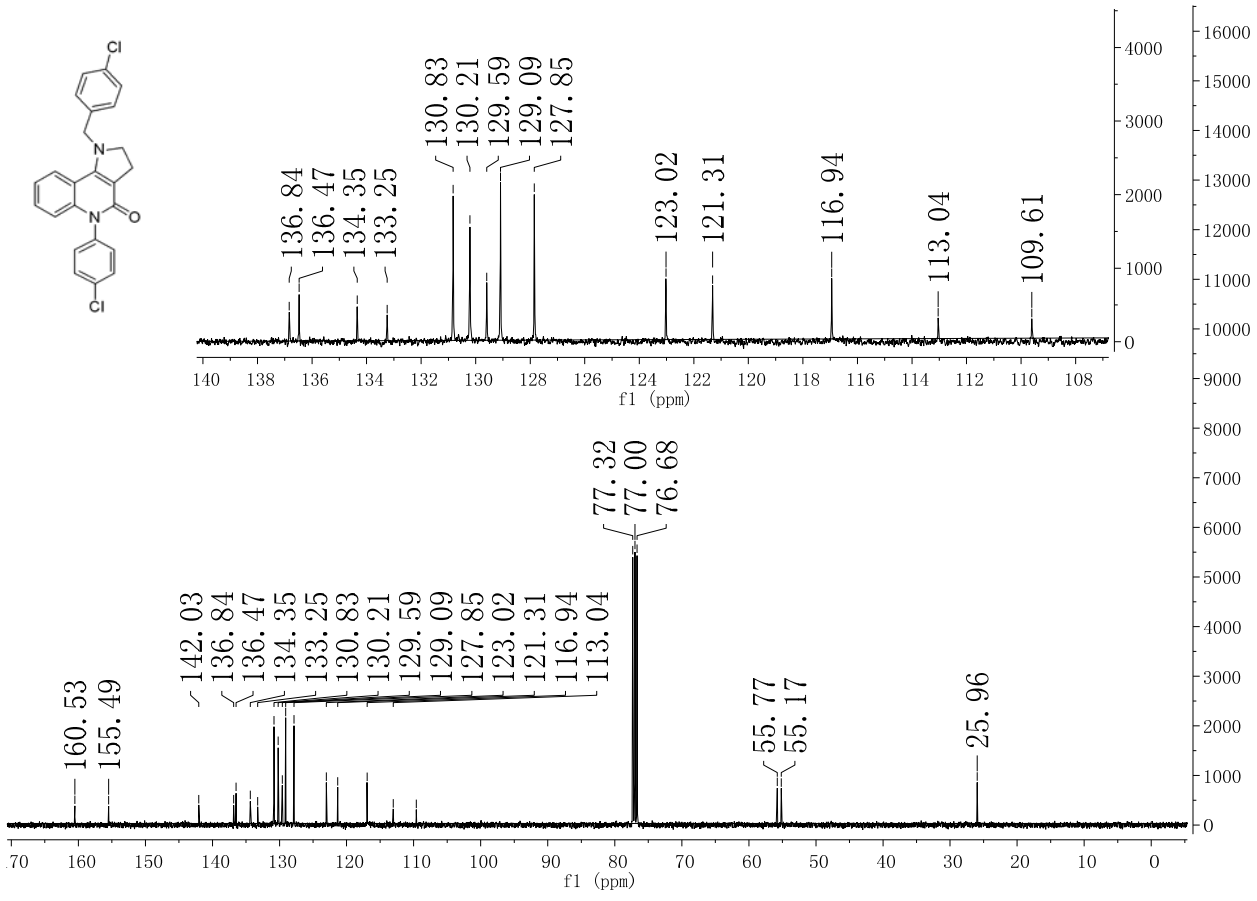
Compound **3b**


7.722
7.704
7.551
7.529
7.436
7.430
7.421
7.400
7.355
7.347
7.339
7.325
7.261
7.238
7.216
7.028
7.008
6.997
6.990
6.700
6.679
4.844
3.815
3.791
3.766
3.149
3.124
3.100
1.00
2.05
3.92
1.05
3.03
1.09
1.00
2.00
2.01
2.01
f1 (ppm)
Cl
N
O

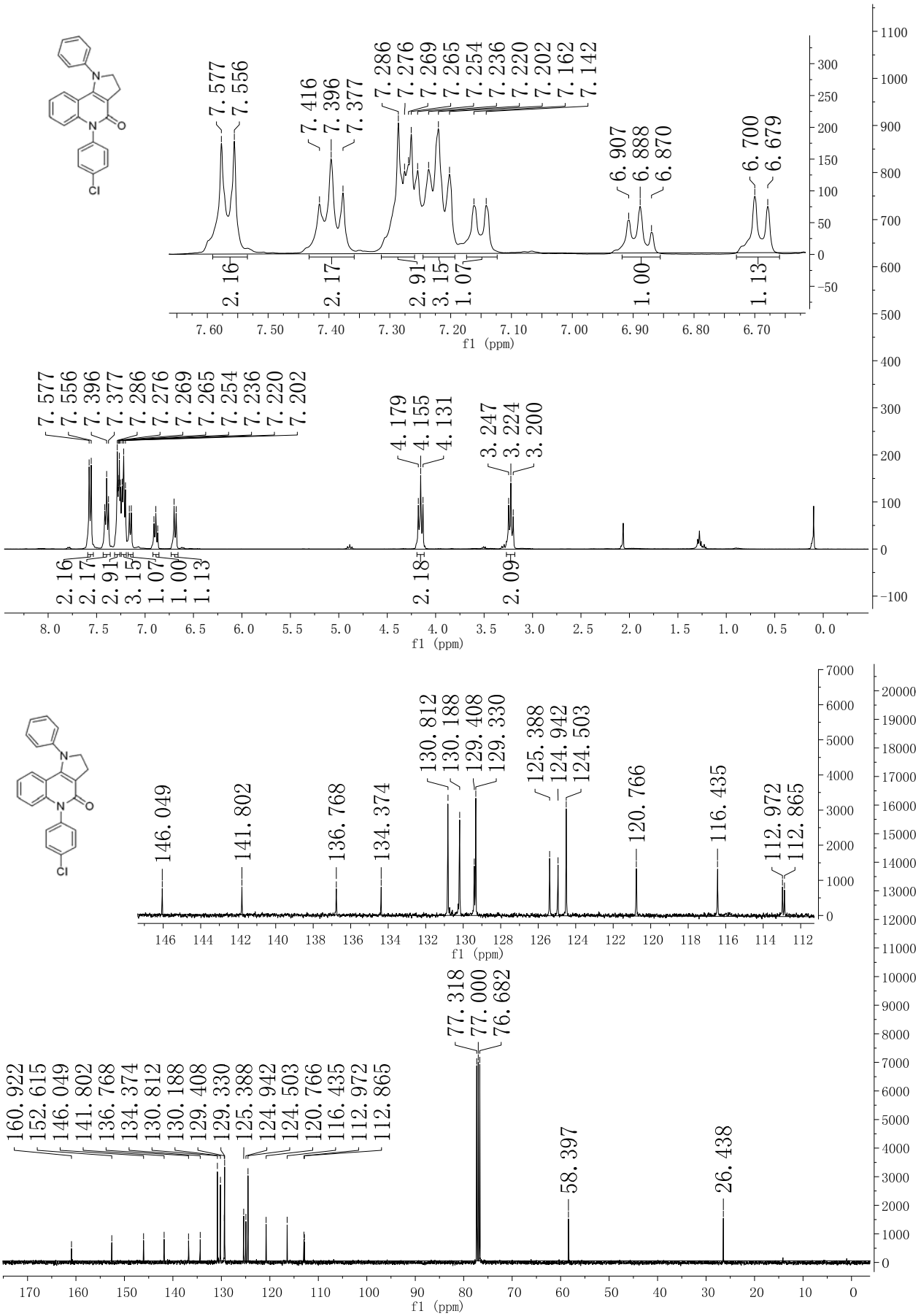
77.32
77.20
76.68
160.57
155.64
137.95
134.29
130.89
130.20
129.50
128.94
127.49
126.51
123.28
121.21
116.86
55.76
55.62
25.94
f1 (ppm)
Cl
N
O

Compound **3c**

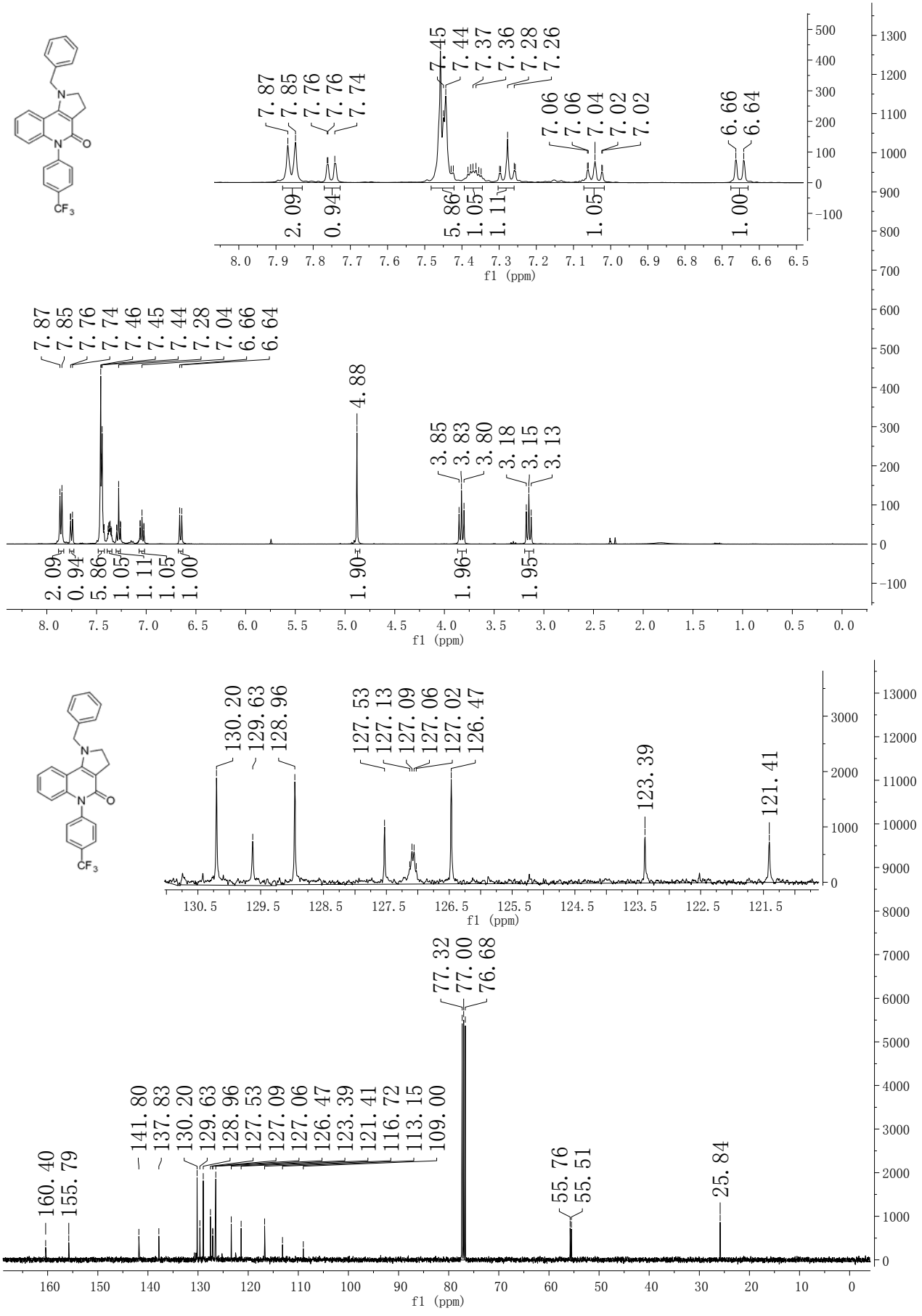

7.661
7.641
7.561
7.540
7.422
7.400
7.377
7.298
7.277
7.258
7.245
7.223
7.053
7.034
7.015
6.721
6.700
4.809
3.806
3.782
3.757
3.164
3.139
3.115
0.94
2.03
3.89
1.03
2.05
1.11
0.98
1.93
1.97
2.00
f1 (ppm)


136.84
136.47
134.35
133.25
130.83
130.21
129.59
129.09
127.85
123.02
121.31
116.94
113.04
109.61
77.32
77.00
76.68
160.53
155.49
142.03
55.77
55.17
25.96
f1 (ppm)

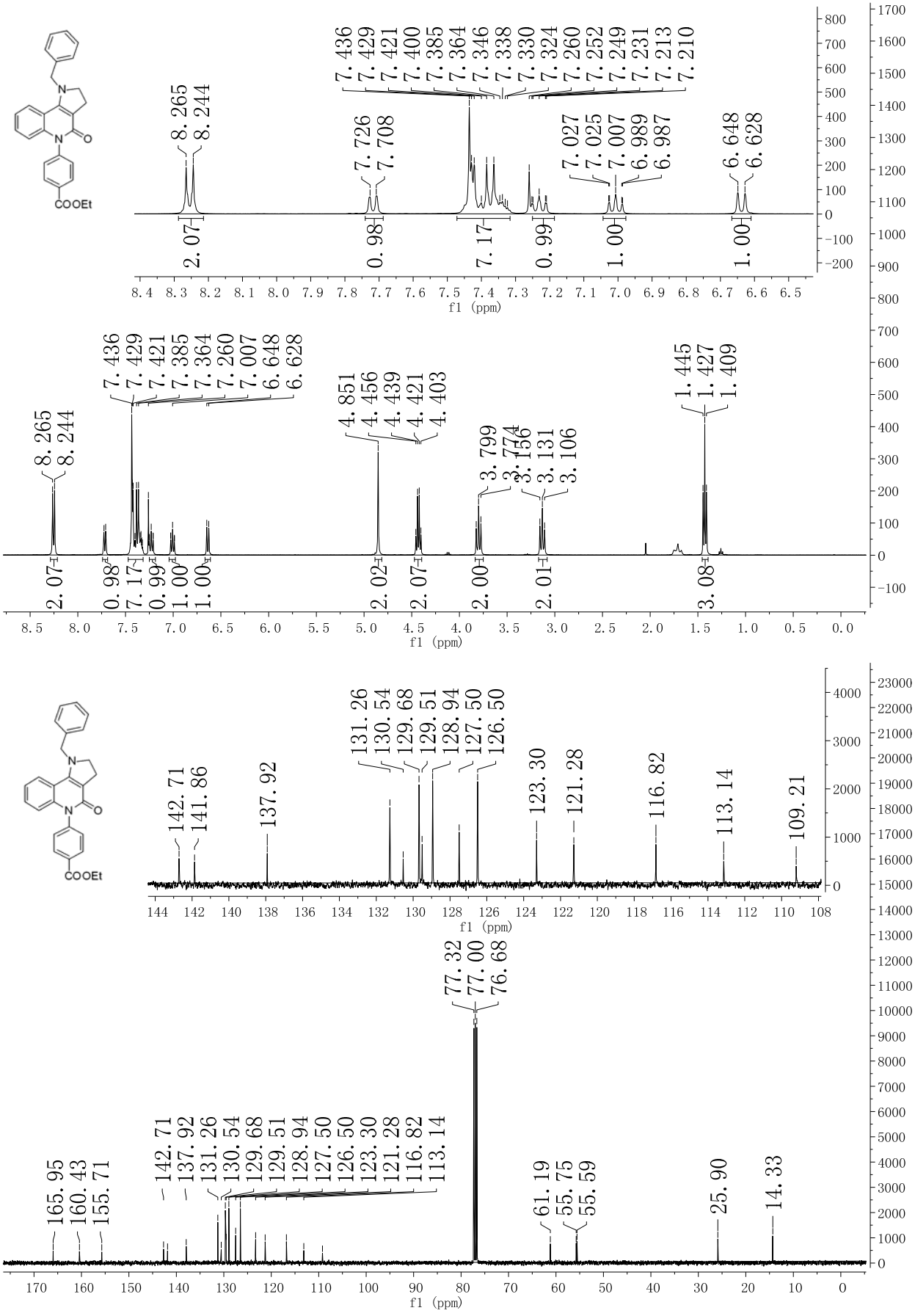
Compound **3d**


7.577
7.556
7.416
7.396
7.377
7.286
7.276
7.269
7.265
7.254
7.236
7.220
7.202
7.162
7.142
6.907
6.888
6.870
6.700
6.679
2.16
2.17
2.91
3.15
1.07
1.00
1.13
f1 (ppm)
4.179
4.155
4.131
3.247
3.224
3.200
2.18
2.09
146.049
141.802
136.768
134.374
130.812
130.188
129.408
129.330
125.388
124.942
124.503
120.766
116.435
112.972
112.865
77.318
77.000
76.682
160.922
152.615
58.397
26.438

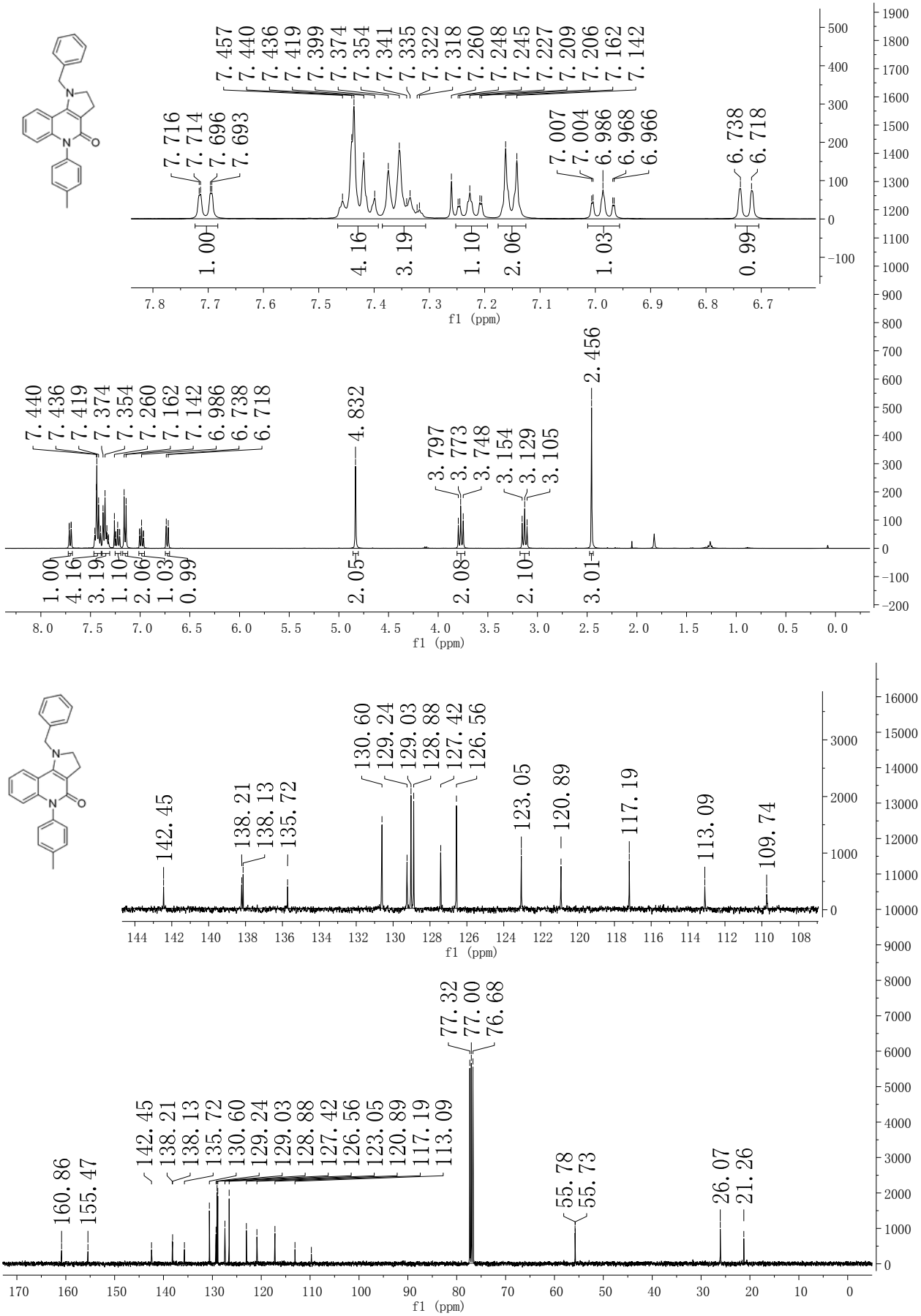
Compound **3e**


7.87
7.85
7.76
7.76
7.74
7.45
7.44
7.37
7.36
7.28
7.26
7.06
7.06
7.04
7.02
7.02
6.66
6.64
2.09
0.94
5.86
1.05
1.11
1.05
1.00
f1 (ppm)
7.87
7.85
7.76
7.74
7.46
7.45
7.44
7.28
7.04
6.66
6.64
4.88
3.85
3.83
3.80
3.18
3.15
3.13
2.09
0.94
5.86
1.05
1.11
1.05
1.00
1.90
1.96
1.95
f1 (ppm)
130.20
129.63
128.96
127.53
127.13
127.09
127.06
127.02
126.47
123.39
121.41
f1 (ppm)
77.32
77.00
76.68
141.80
137.83
130.20
129.63
128.96
127.53
127.09
127.06
126.47
123.39
121.41
116.72
113.15
109.00
160.40
155.79
55.76
55.51
25.84
f1 (ppm)

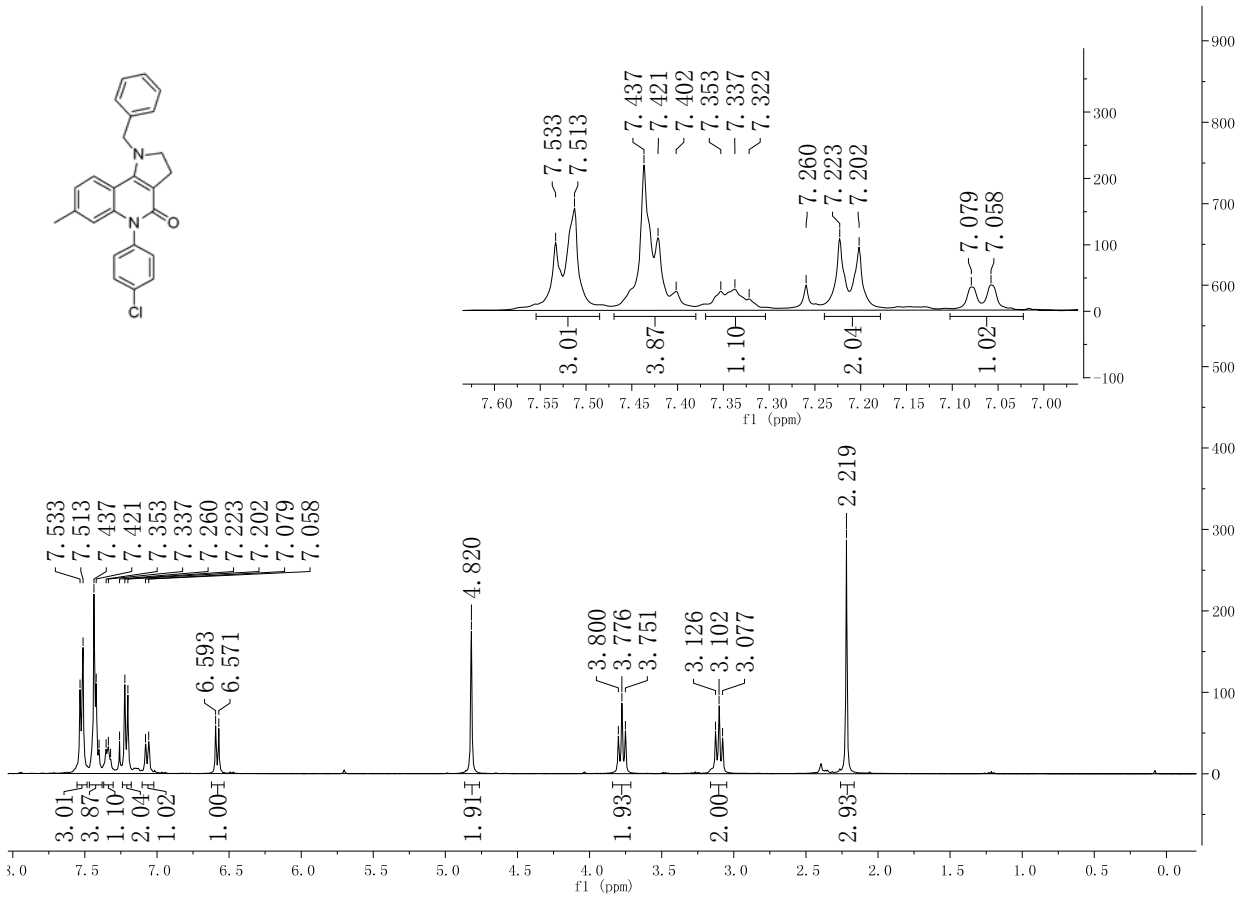
Compound **3f**

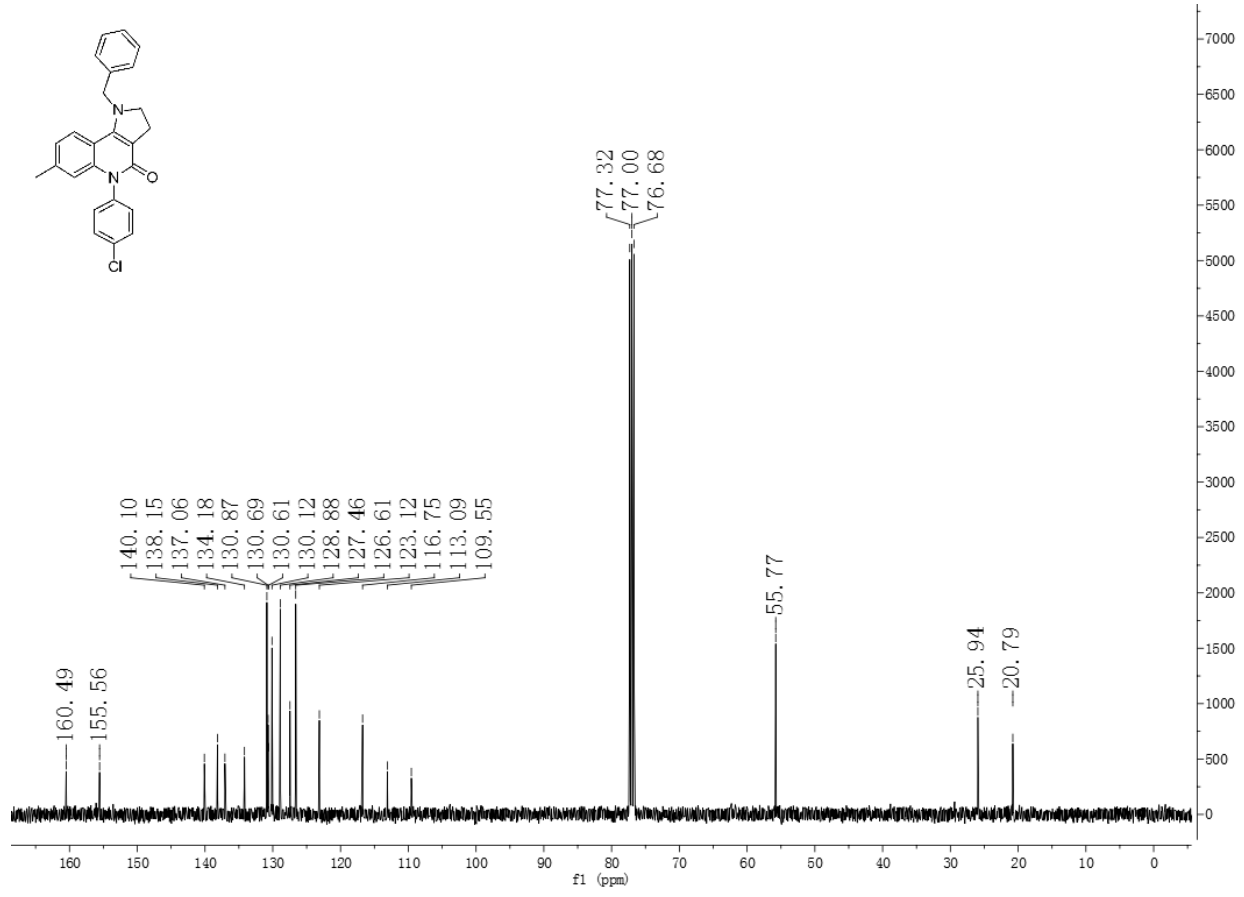

8.265
8.244
7.726
7.708
7.436
7.429
7.421
7.400
7.385
7.364
7.346
7.338
7.330
7.324
7.260
7.252
7.249
7.231
7.213
7.210
7.027
7.025
7.007
6.989
6.987
6.648
6.628
2.07
0.98
7.17
0.99
1.00
1.00
f1 (ppm)
4.851
4.456
4.439
4.421
4.403
3.799
3.774
3.156
3.131
3.106
1.445
1.427
1.409
2.02
2.07
2.00
2.01
3.08
COOEt
142.71
141.86
137.92
131.26
130.54
129.68
129.51
128.94
127.50
126.50
123.30
121.28
116.82
113.14
109.21
77.32
77.00
76.68
165.95
160.43
155.71
61.19
55.75
55.59
25.90
14.33

Compound **3g**

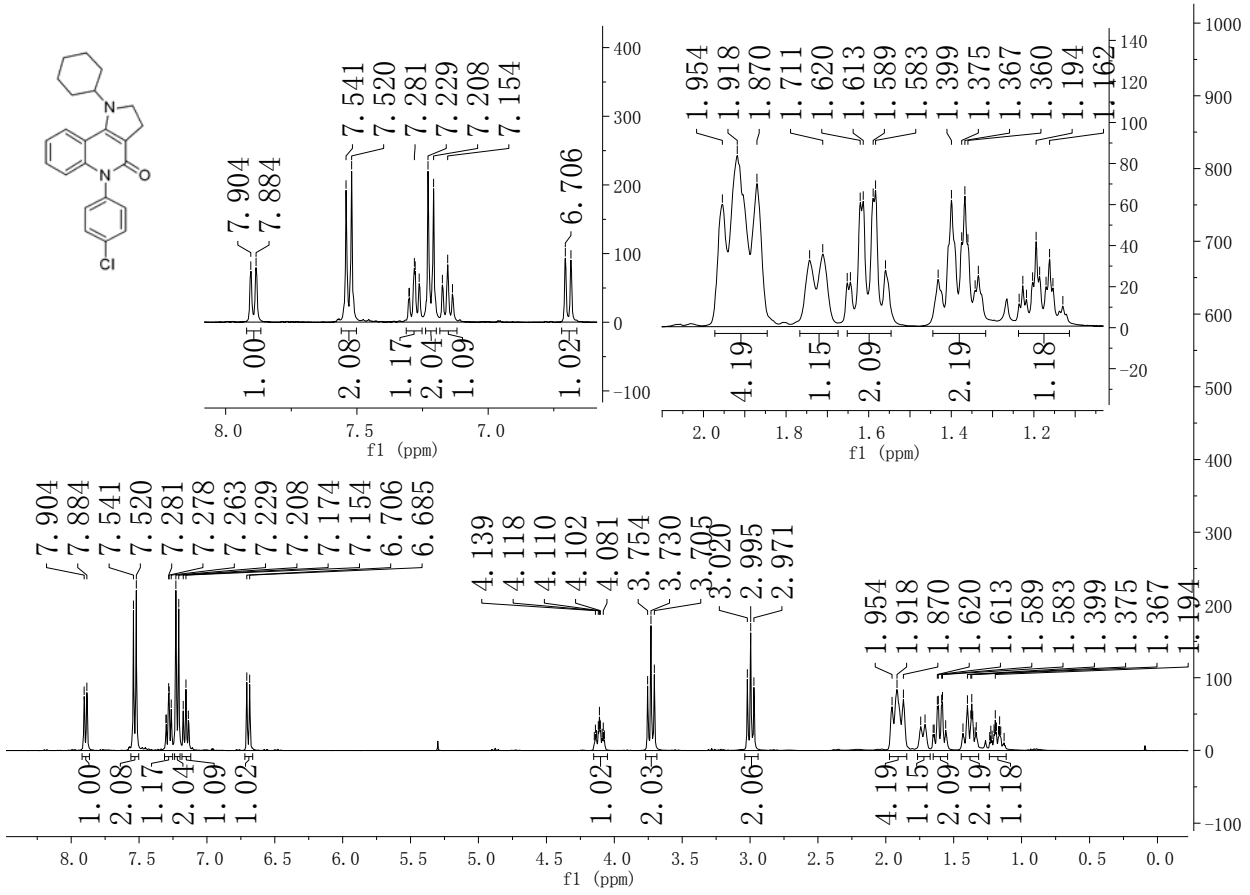

7.716
7.714
7.696
7.693
7.457
7.440
7.436
7.419
7.399
7.374
7.354
7.341
7.335
7.322
7.318
7.260
7.248
7.245
7.227
7.209
7.206
7.162
7.142
7.007
7.004
6.986
6.968
6.966
6.738
6.718
1.00
4.16
3.19
1.10
2.06
1.03
0.99
f1 (ppm)
7.440
7.436
7.419
7.374
7.354
7.260
7.162
7.142
6.986
6.738
6.718
4.832
3.797
3.773
3.748
3.154
3.129
3.105
2.456
2.05
2.08
2.10
3.01
f1 (ppm)
142.45
138.21
138.13
135.72
130.60
129.24
129.03
128.88
127.42
126.56
123.05
120.89
117.19
113.09
109.74
f1 (ppm)
160.86
155.47
142.45
138.21
138.13
135.72
130.60
129.24
129.03
128.88
127.42
126.56
123.05
120.89
117.19
113.09
77.32
77.00
76.68
55.78
55.73
26.07
21.26
f1 (ppm)

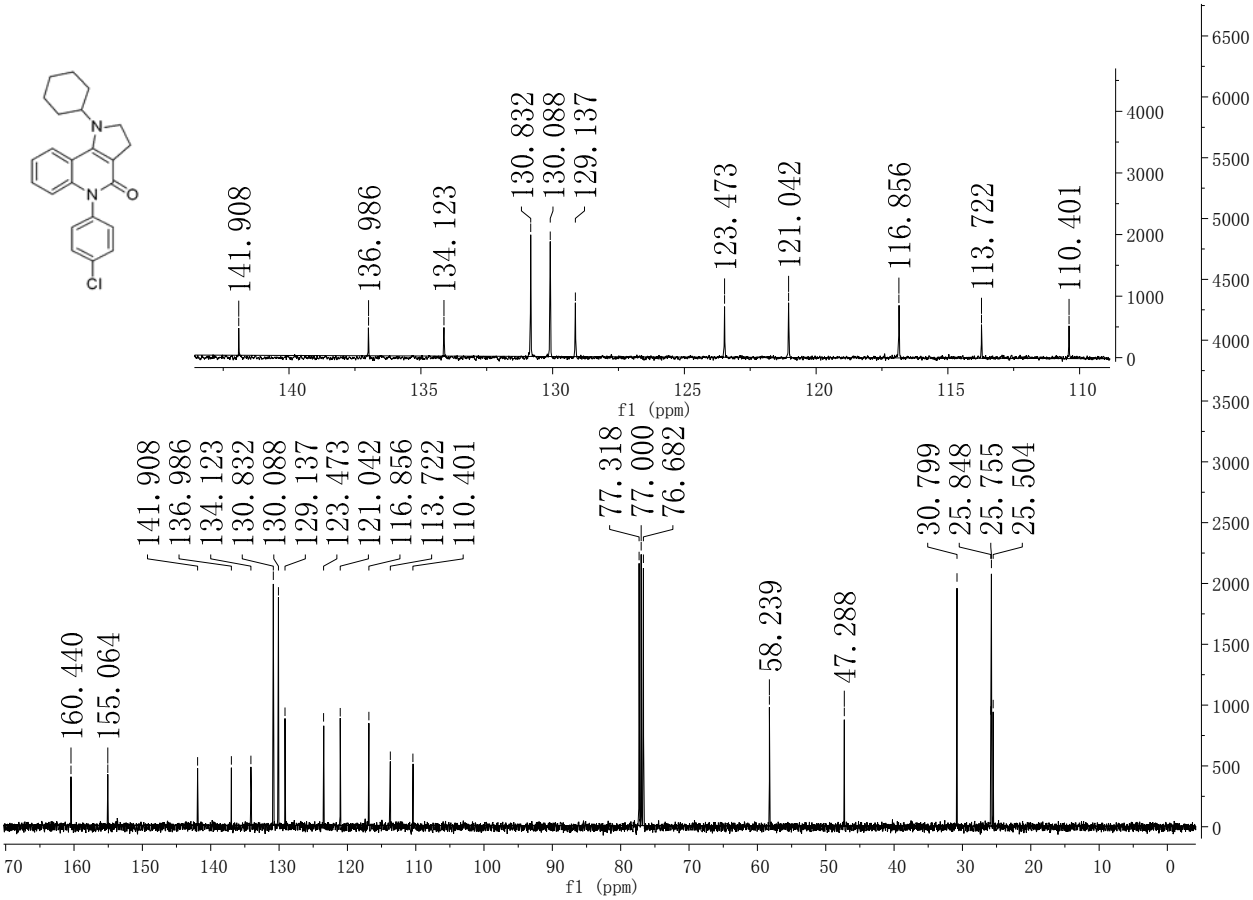
Compound **3h**





Compound **3i**


7.904
7.884
7.541
7.520
7.281
7.229
7.208
7.154
6.706
1.954
1.918
1.870
1.711
1.620
1.613
1.589
1.583
1.399
1.375
1.367
1.360
1.194
1.162
f1 (ppm)


141.908
136.986
134.123
130.832
130.088
129.137
123.473
121.042
116.856
113.722
110.401
77.318
77.000
76.682
160.440
155.064
58.239
47.288
30.799
25.848
25.755
25.504
f1 (ppm)