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# Supporting Information

## Tandem Cyclization of *o*-Alkynylanilines with Isocyanides Triggered by Intramolecular Nucleopalladation: Access to Heterocyclic Fused 2-Aminoquinolines

Wanqing Wu,*[a,b] Meng Li,[b] Jia Zheng,[b] Weigao Hu,[b] Chunsheng Li[b] and Huanfeng Jiang[b]

[a]*State Key Laboratory of Luminescent Materials and Devices, South China University of Technology, Guangzhou 510640, China.*
[b]*Key Laboratory of Functional Molecular Engineering of Guangdong Province, School of Chemistry and Chemical Engineering, South China University of Technology, Guangzhou 510640, China*
*Fax: +86 20-87112906; Tel: +86 20-87112906; E-mail: cewuwq@scut.edu.cn*

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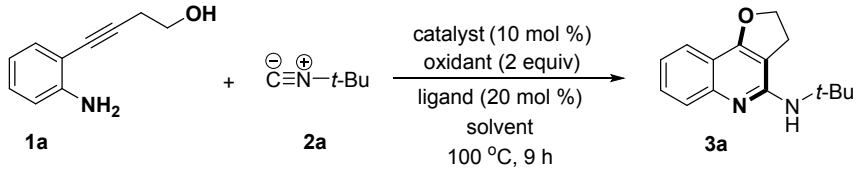
## A. General Information

$^{1}H$ and $^{13}C$ NMR spectra were recorded at 400 MHz NMR spectrometer using $CDCl_3$ as solvent and TMS as an internal standard. Multiplicity was indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet). Coupling constants were reported in Hertz (Hz). IR spectra were obtained with an infrared spectrometer on either potassium bromide pellets or liquid films between two potassium bromide pellets. GC−MS data were obtained using electron ionization. HRMS was carried out on a high-resolution mass spectrometer (LCMS-IT-TOF). Melting points were measured using a melting point instrument and were uncorrected. TLC was performed using commercially available 100−400 mesh silica gel plates ($GF_{254}$). X-ray structural analyses were conducted on an x-ray analysis instrument. All the reaction temperatures reported are oil bath temperatures. Unless otherwise stated, all reagents and solvents were purchased from commercial suppliers and used without further purification.

## B. Optimization of the Reaction Conditions[a]

**Table S1.** Optimization of the Reaction Conditions[a]

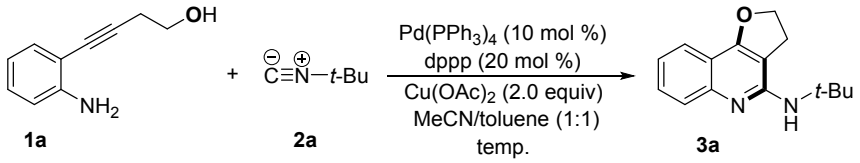



| entry | catalyst | oxidant | ligand | solvent | yield (%)[b] |
|---|---|---|---|---|---|
| 1 | $Pd(OAc)_2$ | AgOAc | - | toluene | 15 |
| 2 | $Pd(OAc)_2$ | DDQ | - | toluene | n.d. |
| 3 | $Pd(OAc)_2$ | TBHP | - | toluene | trace |
| 4 | $Pd(OAc)_2$ | $PhI(OAc)_2$ | - | toluene | n.r. |
| 5 | $Pd(OAc)_2$ | BQ | - | toluene | trace |
| 6 | $Pd(OAc)_2$ | $H_2O_2$ | - | toluene | 11 |
| 7 | $Pd(OAc)_2$ | $O_2$ | - | toluene | 18 |
| 8 | $Pd(OAc)_2$ | $K_2S_2O_8$ | - | toluene | trace |
| 9 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | toluene | 25 |
| 10 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | DMSO | trace |

| | | | | | |
|---|---|---|---|---|---|
| 11 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | DMF | trace |
| 12 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | dioxane | n.d. |
| 13 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | $CH_3NO_2$ | trace |
| 14 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | MeCN | 24 |
| 15 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | MeCN/toluene (3:1) | 26 |
| 16 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | MeCN/toluene (1:1) | 35 |
| 17 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | - | MeCN/toluene (1:3) | 28 |
| 18 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | $P(^tBu)_3$ | MeCN/toluene (1:1) | 30 |
| 19 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | Xanphos | MeCN/toluene (1:1) | 32 |
| 20 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | $P(Cy)_3$ | MeCN/toluene (1:1) | 24 |
| 21 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | dppf | MeCN/toluene (1:1) | 40 |
| 22 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | dppe | MeCN/toluene (1:1) | 58 |
| 23 | $Pd(OAc)_2$ | $Cu(OAc)_2$ | dppp | MeCN/toluene (1:1) | 65 |
| 24 | $PdCl_2(dppf)_2$ | $Cu(OAc)_2$ | dppp | MeCN/toluene (1:1) | 30 |
| 25 | $Pd_2(dba)_3$ | $Cu(OAc)_2$ | dppp | MeCN/toluene (1:1) | 35 |
| **26** | **$Pd(PPh_3)_4$** | **$Cu(OAc)_2$** | **dppp** | **MeCN/toluene (1:1)** | **72 (68)** |

[a]Reaction conditions: all reactions were performed with **1a** (0.10 mmol), **2a** (0.15 mmol), catalyst (10 mol %), ligand (20 mol %), oxidant (2.0 equiv), 100 °C, 9 h, solvent (1 mL). [b]Determined by GC using dodecane as the internal standard and isolated yields are given in parentheses, n.d. = not detected, n.r. = no reaction.

**Table S2.** The Influence of Reaction Temperature[a]

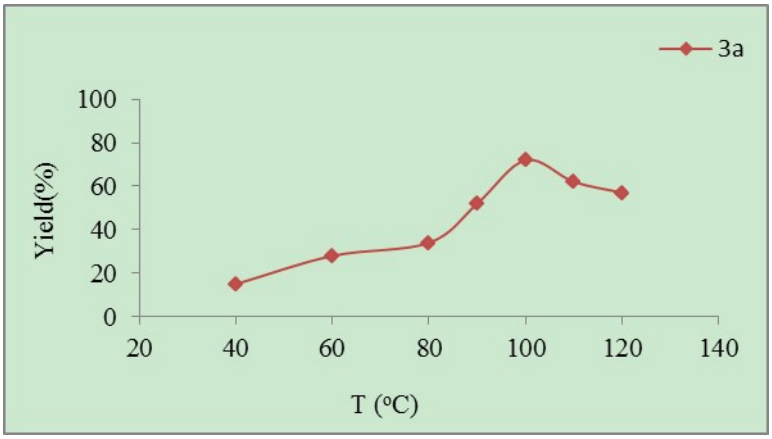



| entry | temp. (°C) | yield (%)[b] |
|---|---|---|
| 1 | 40 | 15 |
| 2 | 60 | 28 |
| 3 | 80 | 34 |
| 4 | 90 | 52 |
| 5 | 100 | 72 |

| | | |
|---|---|---|
| 6 | 110 | 62 |
| 7 | 120 | 57 |

[a]Reaction conditions: all reactions were performed with **1a** (0.10 mmol), **2a** (0.15 mmol), $Pd(PPh_3)_4$ (10 mol %), dppp (10 mol %), $Cu(OAc)_2$ (2 equiv), 100 °C, 9 h, solvent (1 mL). [b]Determined by GC using dodecane as the internal standard.

**Fig S1.** The Relationship between Yields and Reaction Temperatures



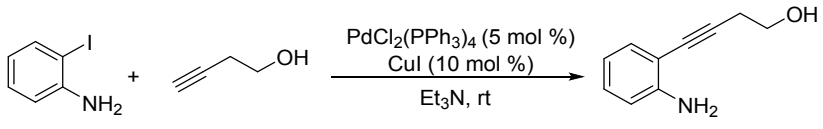

As shown in Fig **S1**, with the increase of the reaction temperature, the yield of **3a** was improved. But when the temperature is more than 100 °C, the yield of **3a** decreased, which suggested the important role of temperature in this reaction.

## C. Experimental Procedure

### I. General Procedure for the Synthesis of Substrates 1 and 4

**Typical Procedure for the Preparation of 1 Using 1a as the Example[1]**

Unless otherwise specified, 4-(2-aminophenyl)but-3-yn-1-ol (as an example) derivatives were synthesized *via* the following step:



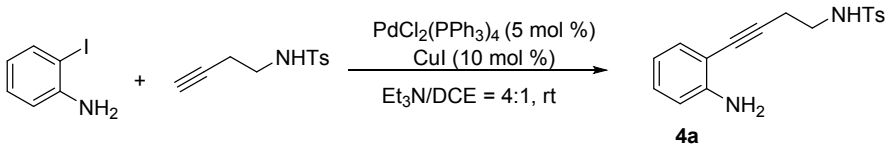

**1a**

To a dried three-necked flask was added 2-iodoaniline (1.09 g, 5.0 mmol), but-3-yn-1-ol (0.42 g, 6.0 mmol), $Pd(PPh_3)_2Cl_2$ (17.5 mg, 5 mol %), CuI (10 mg, 10 mol %), and $Et_3N$ (15 mL). The solution was placed under a $N_2$ atmosphere and stirred for 10 h at room temperature. After complete conversion of the starting material as monitored by TLC, the reaction mixture was quenched with an aqueous solution of saturated $NH_4Cl$ and extracted with ethyl acetate. The

combined organic layer was washed with brine, and dried over anhydrous $Na_2SO_4$. Filtration, evaporation, and chromatography on silica gel with light petroleum ether/ethyl acetate as eluent afforded the desired product **1a** (0.56 g, 70%).

**Typical Procedure for the Preparation of 4 Using 4a as the Example [2]**

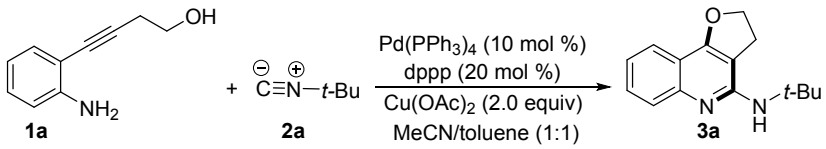
Unless otherwise specified, *N*-(4-(2-aminophenyl)but-3-yn-1-yl)-4-methylbenzenesulfonamide (as an example) derivatives were synthesized *via* the following step:




**4a**

To a dried three-necked flask was added 2-iodoaniline (0.44 g, 2.0 mmol), *N*-(but-3-yn-1-yl)-4-methylbenzenesulfonamide (0.67 g, 3.0 mmol), $Pd(PPh_3)_2Cl_2$ (7 mg, 5 mol %), CuI (4 mg, 10 mol %) in the mixed solvent of $Et_3N$ (10 mL) and DCE (2.5 mL). The solution was placed under a $N_2$ atmosphere and stirred for 10 h at room temperature. After complete conversion of the starting material as monitored by TLC, the reaction mixture was quenched with an aqueous solution of saturated $NH_4Cl$ and extracted with $CH_2Cl_2$. The combined organic layer was washed with brine, and dried over anhydrous $Na_2SO_4$. Filtration, evaporation, and chromatography on silica gel with light petroleum ether/ethyl acetate as eluent afforded the desired product **4a** (0.47g, 75%).

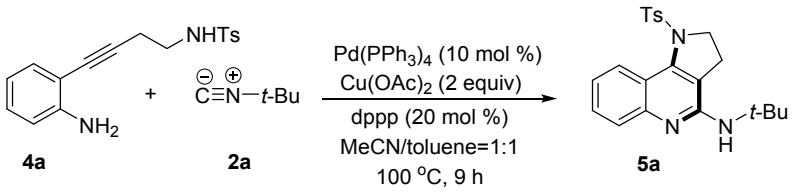
**II. General Procedure for the Synthesis of Products 3a and 5a**




**1a** **2a** **3a**

4-(2-Aminophenyl)but-3-yn-1-ol (**1a**, 16.1 mg, 0.10 mmol), $Pd(PPh_3)_4$ (11.5 mg, 10 mol %), dppp (8.24 mg, 20 mol %), $Cu(OAc)_2$ (36.0 mg, 0.2 mmol) were added to a tube equipped with magnetic stirrer bar in 1 mL mixed MeCN/toluene (1:1), then *tert*-butyl isocyanide (**2a**, 12.5 mg, 0.15 mmol) was added finally. The reaction was stirred at 100 °C for 9 h. After the reaction finished (monitored by TLC), the mixture was quenched with $H_2O$, and the crude product was extracted with ethyl acetate. The organic extracts were concentrated in vacuum, and the resulting residue was purified

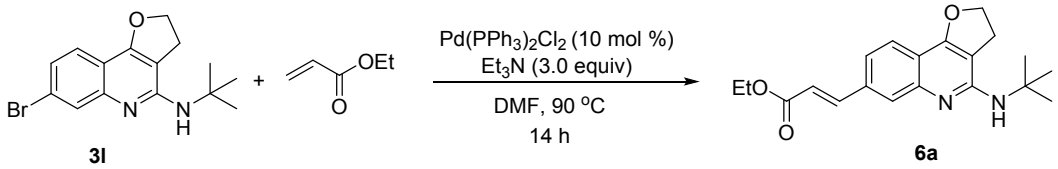
by column chromatography on silica gel with light petroleum ether/ethyl acetate (30:1) as eluent to afford the desired product **3a**.




**4a** **2a** **5a**

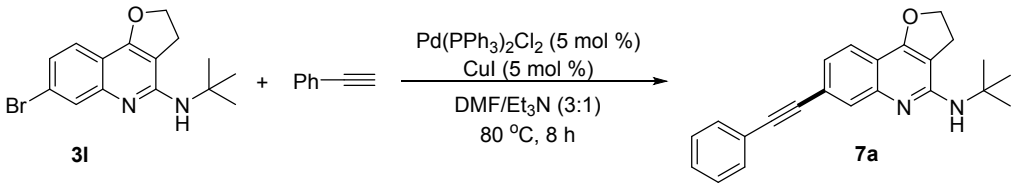
*N*-(4-(2-Aminophenyl)but-3-yn-1-yl)-4-methylbenzenesulfonamide (**4a**, 31.4 mg, 0.10 mmol), $Pd(PPh_3)_4$ (11.5 mg, 10 mol %), dppp (8.24 mg, 20 mol %), $Cu(OAc)_2$ (36.0 mg, 0.2 mmol) were added to a tube equipped with magnetic stirrer bar in 1 mL mixed MeCN/toluene (1:1), then *tert*-butyl isocyanide (**2a**, 12.5 mg, 0.15 mmol) was added finally. The reaction was stirred at 100 °C for 9 h. After the reaction finished (monitored by TLC), the mixture was quenched with $H_2O$, and the crude product was extracted with $CH_2Cl_2$. The organic extracts were concentrated in vacuum, and the resulting residue was purified by column chromatography on silica gel with light petroleum ether/ethyl acetate (10:1) as eluent to afford the desired product **5a**.

## III. The Synthetic Procedure for 6a[3] and 7a[4]




**3l** **6a**

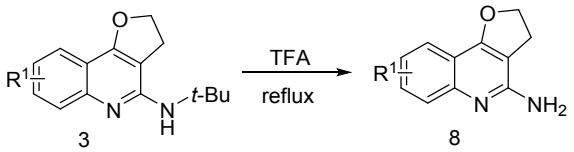
A mixture of 7-bromo-*N*-(*tert*-butyl)-2,3-dihydrofuro[3,2-c]quinolin-4-amine (0.20 mmol, 64 mg), $Pd_2(PPh_3)_2Cl_2$ (14 mg, 10 mol %), ethyl acrylate (40 mg, 0.4 mmol), $Et_3N$ (60.6 mg, 0.6 mmol) and 2.0 mL of DMF were added in a tube equipped with a stir bar and stirred at 90 °C for 12 h under $N_2$. Then the reaction was quenched by aqueous $H_2O$ and extracted with ethyl acetate, dried over anhydrous sodium sulfate, filtered, and evaporated under vacuum. The crude product was purified by column chromatography on silica gel with light petroleum ether/ethyl acetate as eluent to afford the desired product **6a** (61.0 mg, 90%).




To a dried three-necked flask was added **3l** (64 mg, 0.2 mmol), ethynylbenzene (40.8 mg, 0.4 mmol), $Pd(PPh_3)_2Cl_2$ (5 mol %, 7.0 mg), CuI (5 mol %, 2.0 mg) and 2 mL of mixed solvent $Et_3N$/DMF (1:3). The solution was placed under $N_2$ atmosphere and stirred for 8 h at 80 °C. After complete conversion of the starting material as monitored by TLC, the reaction mixture was quenched with an aqueous solution of saturated $NH_4Cl$ and extracted with ethyl acetate. The combined organic layer was washed with brine, and dried over anhydrous $Na_2SO_4$. The crude product was purified by column chromatography on silica gel with light petroleum ether/ethyl acetate as eluent to afford the desired product **7a** (55.0 mg, 81%).

### IV. The Synthetic Procedure for 8 Using 8a as the Example[5]

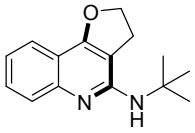
**8b** and **8f** were also synthesized *via* the following step:




To a side-necked sealable tube equipped with stir bar was added **3a** (48 mg, 0.2 mmol) and TFA (2.0 mL). The reaction was sealed and heated at reflux for 10-24 h. The reaction tube was allowed to cool to room temperature. Its contents were dropped slowly into 40 mL of saturated aqueous sodium bicarbonate. The product was then extracted with diethyl ether. The combined organics were dried with sodium sulfate, filtered, and concentrated under reduced pressure. And the resulting residue was purified by column chromatography on silica gel with light petroleum ether/ethyl acetate as eluent to afford the desired product **8a** (31.6 mg, 85%).

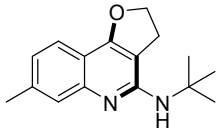
## D. Characterization Data for All Products

***N*-(*tert*-Butyl)-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3a)**



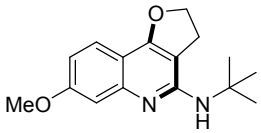
Light yellow solid (49 mg, 68%); m.p. 195-197 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.72 (d, $J$ = 8.4 Hz, 2H), 7.48 (t, $J$ = 7.8 Hz, 1H), 7.16 (t, $J$ = 7.6 Hz, 1H), 4.80 (t, $J$ = 9.0 Hz, 2H), 4.01 (s, 1H), 3.05 (t, $J$ = 9.0 Hz, 2H), 1.60 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.6, 154.2, 149.1, 128.9, 126.7, 121.0, 113.6, 103.6, 72.3, 51.8, 29.5, 27.8 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3440, 3055, 2957, 1573, 1231, 1076, 918, 751, 629, 549; HRMS-ESI (m/z): calcd for $C_{15}H_{19}N_2O$, $[M+H]^+$ : 243.1492, found 243.1494.

***N*-(*tert*-Butyl)-7-methyl-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3b)**



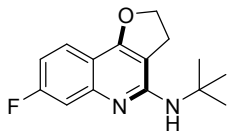
Light yellow solid (49 mg, 64%); m.p. 203-206 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.60 (dd, $J$ = 8.1Hz, 2.6 Hz, 1H), 7.52 (s, 1H), 6.99 (d, $J$ = 8.1 Hz, 1H), 4.78 (t, $J$ = 8.9 Hz, 2H), 3.97 (s, 1H), 3.04 (t, $J$ = 8.9 Hz, 2H), 2.46 (s, 3H), 1.59 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.7, 154.4, 149.4, 138.9, 126.1, 123.1, 120.7, 111.4, 102.7, 72.3, 51.8, 29.6, 27.5, 21.8 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3435, 2923, 1635, 1508, 1409, 1244, 1081, 1004, 911, 753; HRMS-ESI (m/z): calcd for $C_{16}H_{21}N_2O$, $[M+H]^+$ : 257.1648, found 257.1653.

***N*-(*tert*-Butyl)-7-methoxy-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3c)**



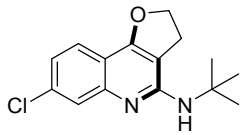
White solid (50 mg, 61%); m.p. 144-150 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.49 (d, $J$ = 8.8 Hz, 1H), 7.00 (s, 1H), 6.70 (d, $J$ = 8.8 Hz, 1H), 4.64 (t, $J$ = 8.9 Hz, 2H), 3.80 (s, 3H), 2.89 (t, $J$ = 8.9 Hz, 2H), 1.48 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.8, 160.7, 154.7, 150.9, 122.1, 112.9, 108.0, 106.3, 101.4, 72.3, 55.2, 51.8, 29.6, 27.3 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3438, 2950, 1637, 1578, 1508, 1433, 1382, 1211, 1004, 914, 740, 509; HRMS-ESI (m/z): calcd for $C_{16}H_{20}N_2NaO_2$, $[M+Na]^+$ : 295.1417, found 295.1414.

***N*-(*tert*-Butyl)-7-fluoro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3d)**



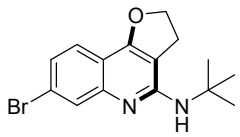
Light yellow solid (39 mg, 50%); m.p. 221-223 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.57 (dd, $J$ = 8.8, 6.6 Hz, 1H), 7.24 (d, $J$ = 11.4 Hz, 1H), 6.81 (td, $J$ = 8.7, 2.3 Hz, 1H), 4.70 (t, $J$ = 9.0 Hz, 2H), 3.97 (s, 1H), 2.94 (t, $J$ = 9.0 Hz, 2H), 1.48 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.7, 162.3, 155.0, 132.1 (dd, $J$ = 9.3, 3.0 Hz), 128.5 (d, $J$ = 2.6 Hz), 128.4, 122.9 (d, $J$ = 10.5 Hz), 110.6 (dd, $J$ = 28.9, 22.2 Hz), 102.7, 72.4, 51.9, 29.5, 27.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3387, 2919, 2855, 1649, 1581, 1424, 1259, 1081, 918, 862, 751, 635, 499; HRMS-ESI (m/z): calcd for $C_{15}H_{18}FN_2O$, $[M+H]^+$ : 261.1398, found 261.1401.

***N*-(*tert*-Butyl)-7-chloro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3e)**



Light yellow solid (41 mg, 49%); m.p. 180-183 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.70 (d, $J$ = 1.8 Hz, 1H), 7.61 (d, $J$ = 8.6 Hz, 1H), 7.08 (dd, $J$ = 8.6, 1.9 Hz, 1H), 4.79 (t, $J$ = 9.0 Hz, 2H), 4.07 (s, 1H), 3.03 (t, $J$ = 9.0 Hz, 2H), 1.57 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.5, 154.8, 149.7, 134.6, 125.7, 122.3, 121.6, 112.0, 103.7, 72.4, 51.9, 29.5, 27.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3437, 2956, 1639, 1579, 1510, 1433, 1385, 1216, 1078, 918, 741, 637, 537; HRMS-ESI (m/z): calcd for $C_{15}H_{18}ClN_2O$, $[M+H]^+$ : 277.1102, found 277.1104.

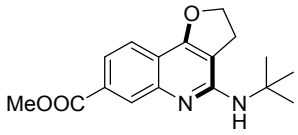
***N*-(*tert*-Butyl)-7-bromo -2,3-dihydrofuro[3,2-c]quinolin-4-amine (3f)**



Light yellow solid (55 mg, 58%); m.p. 181-184 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.79 (s, 1H), 7.45 (d, $J$ = 8.5 Hz, 1H), 7.13 (d, $J$ = 8.6 Hz, 1H), 4.70 (t, $J$ = 9.0 Hz, 2H), 3.99 (s, 1H), 2.93 (t, $J$ = 9.0 Hz, 2H), 1.47 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.6, 154.7, 149.9, 128.9, 124.2, 123.0, 122.4, 112.3, 103.9, 72.4, 51.9, 29.5, 27.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3427, 2953, 1634, 1520,

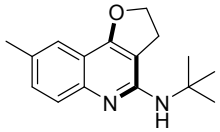
1429, 1224, 1145, 1079, 1017, 915, 826, 749, 645, 454; HRMS-ESI (m/z): calcd for $C_{15}H_{18}BrN_2O$, $[M+H]^+$ : 321.0597, found 321.0600.

**Methyl 4-(*tert*-Butylamino)-2,3-dihydrofuro[3,2-c]quinoline-7-carboxylate (3g)**



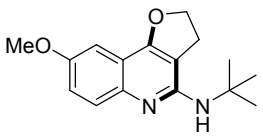

Yellow solid (50 mg, 56%); m.p. 188-190 $^oC$; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 8.39 (s, 1H), 7.73 (d, $J$ = 2.6 Hz, 2H), 4.78 (t, $J$ = 9.0 Hz, 2H), 3.94 (s, 1H), 3.03 (t, $J$ = 9.0 Hz, 2H), 1.57 (s, 9H); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ 167.6, 162.3, 154.6, 130.2, 129.0, 121.3, 120.7, 116.3, 105.7, 72.4, 52.0, 51.9, 29.4, 27.5 ppm; $\nu_{max}$(KBr)/$cm^{-1}$ 3406, 2949, 1715, 1634, 1519, 1424, 1233, 1087, 989, 912, 746, 547, 461; HRMS-ESI (m/z): calcd for $C_{17}H_{21}N_2O_3$, $[M+H]^+$ : 301.1547, found 301.1551.

***N*-(*tert*-Butyl)-8-methyl-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3h)**




White solid (40 mg, 52%); m.p. 190-193 $^oC$; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 7.61 (d, $J$ = 8.6 Hz, 1H), 7.49 (s, 1H), 7.41-7.04 (m, 1H), 4.78 (t, $J$ = 9.0 Hz, 2H), 3.94 (s, 1H), 3.05 (t, $J$ = 8.9 Hz, 2H), 2.43 (s, 3H), 1.58 (s, 9H); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ 162.3, 153.7, 147.4, 130.9, 130.4, 126.5, 120.1, 113.3, 103.6, 72.2, 51.7, 29.6, 27.5, 21.2 ppm; $\nu_{max}$(KBr)/$cm^{-1}$ 3439, 2920, 1637, 1511, 1413, 1257, 1080, 935, 818, 742, 549; HRMS-ESI (m/z): calcd for $C_{16}H_{21}N_2O$, $[M+H]^+$ : 257.1648, found 257.1649.

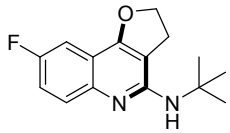
***N*-(*tert*-Butyl)-8-methoxy-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3i)**




Light yellow solid (23 mg, 29%); m.p. 196-198 $^oC$; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 7.63 (d, $J$ = 9.0 Hz, 1H), 7.14 (dd, $J$ = 9.1, 2.5 Hz, 1H), 7.04 (d, $J$ = 2.7 Hz, 1H), 4.80 (t, $J$ = 8.9 Hz, 2H), 3.85 (s, 1H), 3.07 (t, $J$ = 8.7 Hz, 2H), 1.56 (s, 9H); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ 162.0, 154.3, 152.9, 128.3, 120.6, 113.3, 103.9, 99.9, 72.3, 55.5, 51.8, 29.7, 27.7 ppm; $\nu_{max}$(KBr)/$cm^{-1}$ 3437, 2955,

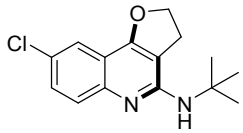
1607, 1519, 1467, 1412, 1223, 1033, 934, 826, 745, 554; HRMS-ESI (m/z): calcd for $C_{16}H_{21}N_2O_2$, $[M+H]^+$ : 273.1598, found 273.1600.

***N*-(*tert*-Butyl)-8-fluoro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3j)**



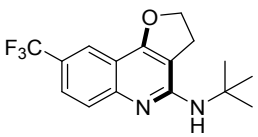
White solid (55 mg, 71%); m.p. 207-209 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.66 (dd, $J$ = 9.0, 5.1 Hz, 1H), 7.32 (dd, $J$ = 8.9, 2.9 Hz, 1H), 7.22 (td, $J$ = 8.9, 2.9 Hz, 1H), 4.80 (t, $J$ = 9.0 Hz, 2H), 3.98 (s, 1H), 3.06 (t, $J$ = 9.0 Hz, 2H), 1.57 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.4, 157.5 (d, $J$ = 240.3 Hz), 153.7, 145.9, 128.6, 118.2 (d, $J$ = 24.7 Hz), 113.3 (d, $J$ = 9.7 Hz), 104.9 (d, $J$ = 23.0 Hz), 104.4, 72.4, 51.9, 29.5, 27.6 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3447, 2954, 1630, 1531, 1471, 1372, 1243, 1071, 948, 823, 741, 561; HRMS-ESI (m/z): calcd for $C_{15}H_{18}FN_2O$, $[M+H]^+$ : 261.1398, found 261.1402.

***N*-(*tert*-Butyl)-8-chloro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3k)**



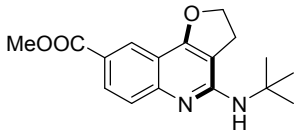
Brown solid (61 mg, 74%); m.p. 182-185 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.69 (d, $J$ = 1.7 Hz, 1H), 7.61 (d, $J$ = 8.6 Hz, 1H), 7.08 (dd, $J$ = 8.6, 1.9 Hz, 1H), 4.80 (t, $J$ = 9.0 Hz, 2H), 4.06 (s, 1H), 3.04 (t, $J$ = 9.0 Hz, 2H), 1.56 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.6, 154.8, 149.7, 134.7, 125.8, 122.3, 121.6, 112.0, 103.7, 72.4, 51.9, 29.5, 27.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3439, 2928, 1639, 1511, 1436, 1385, 1217, 1008, 919, 868, 749, 639; HRMS-ESI (m/z): calcd for $C_{15}H_{18}ClN_2O$, $[M+H]^+$ : 277.1102, found 277.1105.

***N*-(*tert*-Butyl)-8-(trifluoromethyl)-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3l)**



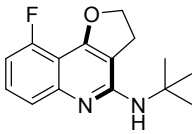
Light yellow solid (50 mg, 54%); m.p. 203-205 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.00 (s, 1H), 7.74 (d, $J$ = 8.7 Hz, 1H), 7.62 (d, $J$ = 8.7 Hz, 1H), 4.84 (t, $J$ = 9.0 Hz, 2H), 4.19 (s, 1H), 3.08 (t, $J$ = 8.9 Hz, 2H), 1.58 (s, 9H); $^{13}$C NMR (100 MHz, DMSO) $\delta$ 152.9, 128.9 (q, $J$ = 3.8 Hz), 126.6, 126.1 (d, $J$ = 3.6 Hz), 123.9, 116.0 (q, $J$ = 32.3 Hz), 113.6, 106.7, 95.0, 77.2, 60.2, 29.5, 24.1 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3469, 2925, 2858, 1638, 1487, 1172, 1094, 940, 830, 736, 543; HRMS-ESI (m/z): calcd for $C_{16}H_{18}F_3N_2O$, $[M+H]^+$ : 311.1366, found 311.1370.

**Methyl 4-(*tert*-Butylamino)-2,3-dihydrofuro[3,2-c]quinoline-8-carboxylate (3m)**



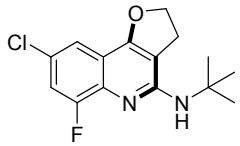

White solid (70 mg, 78%); m.p. 213-215 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.46 (s, 1H), 8.06 (d, $J$ = 8.8 Hz, 1H), 7.66 (d, $J$ = 8.9 Hz, 1H), 4.79 (t, $J$ = 9.0 Hz, 2H), 4.20 (s, 1H), 3.91 (s, 3H), 3.02 (t, $J$ = 9.0 Hz, 2H), 1.57 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 167.3, 163.4, 155.6, 151.6, 128.9, 126.5, 124.6, 122.2, 112.8, 104.0, 72.5, 52.1, 51.8, 29.5, 27.3 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3407, 2920, 1709, 1641, 1515, 1401, 1234, 1113, 921, 838, 760, 534; HRMS-ESI (m/z): calcd for $C_{17}H_{21}N_2O_3$, $[M+H]^+$ : 301.1547, found 301.1552.

***N*-(*tert*-Butyl)-9-fluoro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3n)**



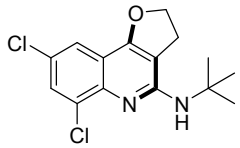

White solid (48 mg, 62%); m.p. 205-207 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.47 (d, $J$ = 8.5 Hz, 1H), 7.34 (dd, $J$ = 14.4, 8.1 Hz, 1H), 6.78 (dd, $J$ = 10.7, 7.9 Hz, 1H), 4.84 (t, $J$ = 9.1 Hz, 2H), 4.07 (s, 1H), 3.01 (t, $J$ = 9.1 Hz, 2H), 1.57 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 160.9, 158.8, 156.3, 154.6, 151.0, 128.3 (d, $J$ = 9.6 Hz), 122.6, 105.9 (d, $J$ = 19.8 Hz), 104.6, 72.6, 51.9, 29.5, 26.8 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3449, 2943, 1621, 1471, 1351, 1253, 1052, 914, 739, 461; HRMS-ESI (m/z): calcd for $C_{15}H_{18}FN_2O$, $[M+H]^+$ : 261.1398, found 261.1400.

***N*-(*tert*-Butyl)-8-chloro-6-fluoro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3o)**



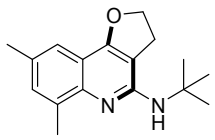
White solid (27 mg, 31%); m.p. 210-212 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.45 (s, 1H), 7.17 (dd, $J$ = 10.6, 1.9 Hz, 1H), 4.81 (t, $J$ = 9.0 Hz, 2H), 4.16 (s, 1H), 3.07 (t, $J$ = 8.7 Hz, 2H), 1.57 (s, 9H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 161.85 (d, $J$ = 4.7 Hz), 158.0, 155.5, 154.1, 124.8 (d, $J$ = 9.8 Hz), 116.1 (d, $J$ = 4.6 Hz), 115.6 (d, $J$ = 4.7 Hz), 114.8 (d, $J$ = 22.7 Hz), 105.3, 72.6, 52.2, 29.3, 27.5 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3854, 3443, 2928, 1638, 1508, 1378, 1263, 1117, 981, 845, 741, 579, 499; HRMS-ESI (m/z): calcd for $C_{15}H_{17}ClFN_2O$, $[M+H]^+$ : 295.1008, found 295.1002.

***N*-(*tert*-Butyl)-7,9-dichloro-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3p)**



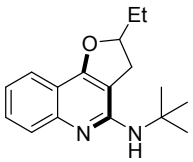
Light yellow solid (61 mg, 66%); m.p. 213-217 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.57 (dd, $J$ = 9.0, 2.3 Hz, 2H), 4.81 (t, $J$ = 9.0 Hz, 2H), 4.17 (s, 1H), 3.05 (t, $J$ = 9.0 Hz, 2H), 1.60 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.3, 153.9, 131.8, 129.3, 125.1, 119.3, 114.8, 105.1, 72.8, 52.2, 29.1, 27.3 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3440, 2924, 1641, 1589, 1514, 1402, 1259, 1212, 1123, 948, 845, 742, 504; HRMS-ESI (m/z): calcd for $C_{15}H_{17}Cl_2N_2O$, $[M+H]^+$ : 311.0712, found 311.0716.

***N*-(*tert*-Butyl)-6,8-dimethyl-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3q)**



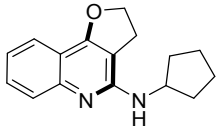
White solid (49 mg, 60%); m.p. 212-214 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.38 (s, 1H), 7.23 (s, 1H), 4.78 (t, $J$ = 8.9 Hz, 2H), 3.96 (s, 1H), 3.05 (t, $J$ = 8.9 Hz, 2H), 2.66 (s, 3H), 2.41 (s, 3H), 1.62 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.7, 152.5, 146.4, 134.2, 131.2, 129.9, 117.8, 112.9, 103.2, 72.2, 51.6, 29.3, 27.5 21.2, 18.8 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3437, 2960, 1640, 1437, 1218, 1112, 976, 851, 775, 623, 492; HRMS-ESI (m/z): calcd for $C_{17}H_{23}N_2O$, $[M+H]^+$ : 271.1805, found 271.1808.

***N*-(*tert*-Butyl)-2-ethyl-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3r)**



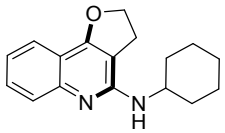
Light yellow solid (53 mg, 65%); m.p. 110-113 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.83-7.65 (m, 2H), 7.53-7.42 (m, 1H), 7.16 (t, $J$ = 7.5 Hz, 1H), 4.99 (dq, $J$ = 9.5, 6.7 Hz, 1H), 3.99 (s, 1H), 3.12 (dd, $J$ = 14.2, 9.5 Hz, 1H), 2.71 (dd, $J$ = 14.2, 7.3 Hz, 1H), 2.03-1.87 (m, 1H), 1.87-1.75 (m, 1H), 1.61 (s, 9H), 1.10 (t, $J$ = 7.4 Hz, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.0, 154.3, 149.1, 128.8, 126.7, 121.1, 120.9, 113.6, 103.2, 86.2, 51.8, 32.6, 29.6, 29.2, 9.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3429, 3061, 2956, 1639, 1516, 1409, 1227, 996, 908, 756, 638; HRMS-ESI (m/z): calcd for $C_{17}H_{22}N_2NaO$, $[M+Na]^+$ : 293.1624, found 293.1622.

***N*-Cyclopentyl-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3s)**



Light red solid (36 mg, 48%); m.p. 155-157 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.71 (dd, $J$ = 9.0, 1.8 Hz, 2H), 7.49 (t, $J$ = 7.7 Hz, 1H), 7.17 (t, $J$ = 7.5 Hz, 1H), 4.83 (t, $J$ = 9.0 Hz, 2H), 4.65-4.44 (m, 1H), 3.15 (t, $J$ = 8.9 Hz, 2H), 2.27-2.01 (m, 2H), 1.86-1.61 (m, 4H), 1.51 (td, $J$ = 12.4, 6.1 Hz, 2H), 1.26 (s, 1H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 163.4, 154.5, 129.4, 125.9, 121.2, 121.1, 113.8, 102.9, 72.5, 52.7, 33.9, 27.5, 23.8 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3410, 2946, 1613, 1523, 1349, 1253, 1167, 1082, 1008, 928, 698, 560; HRMS-ESI (m/z): calcd for $C_{16}H_{19}N_2O$, $[M+H]^+$ : 255.1492, found 255.1496.

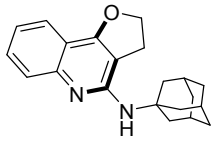
***N*-Cyclohexyl-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3t)**



Light yellow solid (43 mg, 54%); m.p. 138-140 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.91-7.64 (m, 2H), 7.47 (ddd, $J$ = 8.4, 7.0, 1.4 Hz, 1H), 7.20-7.05 (m, 1H), 4.80 (t, $J$ = 9.0 Hz, 2H), 4.17 (s, 1H), 3.09 (t, $J$ = 9.0 Hz, 2H), 2.14 (d, $J$ = 12.5 Hz, 2H), 1.93-1.56 (m, 3H), 1.58-1.38 (m, 2H), 1.39-

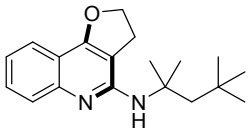
1.12 (m, 4H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 163.3, 154.0, 149.1, 129.2, 126.0, 121.1, 121.0, 113.8, 102.9, 72.5, 49.2, 33.9, 27.4, 25.8, 25.0 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3421, 2924, 2853, 1640, 1517, 1408, 1258, 1151, 1078, 995, 756, 645; HRMS-ESI (m/z): calcd for $C_{17}H_{21}N_2O$, $[M+H]^+$ : 269.1648, found 269,1652.

***N*-((3s,5s,7s)-Adamantan-1-yl)-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3u)**



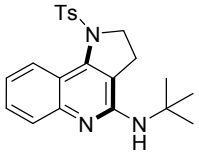
Yellow solid (59 mg, 62%); m.p. 252-255 $^{o}$C; $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.69 (dd, $J$ = 7.5, 4.5 Hz, 2H), 7.46 (t, $J$ = 7.4 Hz, 1H), 7.13 (t, $J$ = 7.4 Hz, 1H), 4.80 (t, $J$ = 9.0 Hz, 2H), 3.90 (s, 1H), 3.05 (t, $J$ = 8.9 Hz, 2H), 2.28 (s, 6H), 2.15 (s, 3H), 1.76 (q, $J$ = 12.2 Hz, 6H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.7, 154.2, 149.1, 128.9, 126.6, 121.0, 113.6, 103.5, 72.3, 52.4, 42.5, 36.7, 29.8, 27.5 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3414, 2904, 1636, 1511, 1404, 1264, 1150, 1079, 992, 919, 743, 640, 541, 457; HRMS-ESI (m/z): calcd for $C_{21}H_{25}N_2O$, $[M+H]^+$ : 321.1961, found 321.1968.

***N*-(2,4,4-*tri*-Methylpentan-2-yl)-2,3-dihydrofuro[3,2-c]quinolin-4-amine (3v)**



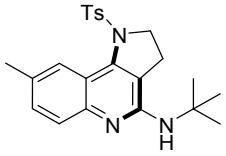
White solid (65 mg, 73%); m.p. 108-110 $^{o}$C; $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.72 (dd, $J$ = 8.2, 2.8 Hz, 2H), 7.48 (t, $J$ = 7.3 Hz, 1H), 7.15 (t, $J$ = 7.4 Hz, 1H), 4.80 (t, $J$ = 9.0 Hz, 2H), 4.07 (s, 1H), 3.04 (t, $J$ = 9.0 Hz, 2H), 2.05 (s, 2H), 1.66 (s, 6H), 1.04 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.5, 154.1, 149.2, 128.8, 126.7, 120.9, 113.6, 103.5, 72.2, 55.8, 51.9, 31.8, 31.6, 29.9, 27.5; $\nu_{max}$(KBr)/cm$^{-1}$ 3691, 3443, 2952, 1642, 1518, 1410, 1229, 1150, 1077, 1000, 931, 752, 630; HRMS-ESI (m/z): calcd for $C_{19}H_{27}N_2O$, $[M+H]^+$ : 299.2118, found 299.2122.

***N*-(*tert*-Butyl)-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5a)**



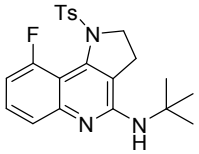
White solid (77 mg, 65%); m.p. 180-185 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.34 (d, $J$ = 8.3 Hz, 1H), 7.74 (d, $J$ = 8.4 Hz, 1H), 7.51 (t, $J$ = 7.6 Hz, 1H), 7.32 (d, $J$ = 8.2 Hz, 2H), 7.25 (t, $J$ = 7.6 Hz, 1H), 7.12 (d, $J$ = 8.1 Hz, 2H), 4.13 (t, $J$ = 7.5 Hz, 2H), 3.78 (s, 1H), 2.36 (s, 3H), 2.02 (t, $J$ = 7.4 Hz, 2H), 1.49 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 153.0, 149.1, 147.1, 144.3, 133.6, 129.4, 129.0, 127.6, 126.7, 125.7, 121.7, 117.6, 52.9, 51.9, 29.3, 26.2, 21.5 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3419, 3061, 2957, 1613, 1512, 1464, 1405, 1352, 1233, 1165, 1083, 1013, 936, 759, 696; HRMS-ESI (m/z): calcd for $C_{22}H_{26}N_3O_2S$, $[M+H]^+$ : 396.1740, found 396.1745.

**_N_-(_tert_-Butyl)-8-methyl-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5b)**



Light yellow solid (66 mg, 54%); mp 170-172 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.11 (s, 1H), 7.65 (d, $J$ = 8.5 Hz, 1H), 7.35 (t, $J$ = 8.8 Hz, 3H), 7.13 (d, $J$ = 8.1 Hz, 2H), 4.12 (t, $J$ = 7.5 Hz, 2H), 3.71 (s, 1H), 2.49 (s, 3H), 2.38 (s, 3H), 2.00 (t, $J$ = 7.5 Hz, 2H), 1.49 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 152.6, 147.5, 146.7, 144.2, 133.6, 131.2, 131.1, 129.3, 127.7, 126.5, 124.7, 117.6, 117.5, 52.9, 51.9, 29.4, 26.2, 21.5, 21.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3418, 2921, 1606, 1514, 1454, 1353, 1231, 1165, 1083, 1018, 936, 817, 699, 572; HRMS-ESI (m/z): calcd for $C_{23}H_{28}N_3O_2S$, $[M+H]^+$: 410.1897, found 410.1902.

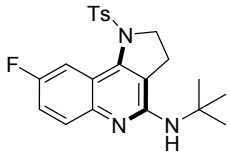
**_N_-(_tert_-Butyl)-9-fluoro-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5c)**



Brown solid (55 mg, 45%); m.p. 155-157 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.01 (dd, $J$ = 10.3, 2.8 Hz, 1H), 7.70 (dd, $J$ = 9.2, 5.4 Hz, 1H), 7.35 (d, $J$ = 8.2 Hz, 2H), 7.28 (dt, $J$ = 8.7, 2.9 Hz, 1H), 7.15 (d, $J$ = 8.1 Hz, 2H), 4.13 (t, $J$ = 7.5 Hz, 2H), 3.77 (s, 1H), 2.38 (s, 3H), 2.05 (t, $J$ = 7.5 Hz,

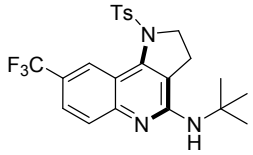
2H), 1.48 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 158.9, 156.6, 152.6, 146.7 (d, $J$ = 4.5 Hz), 146.0, 144.5, 133.6, 129.5, 128.5 (d, 8.4 Hz), 127.7, 118.6, 118.5 (d, $J$ = 25 Hz), 117.7 (d, $J$ = 10.3 Hz), 109.7 (d, $J$ = 24.6 Hz), 52.9, 51.9, 29.3, 26.2, 21.6 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3419, 2946, 1610, 1526, 1406, 1353, 1236, 1169, 819, 695, 575; HRMS-ESI (m/z): calcd for $C_{22}H_{24}FN_3NaO_2S$, $[M+Na]^+$: 436.1465, found 436.1472.

***N*-(*tert*-Butyl)-8-fluoro-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5d)**




Light red solid (41 mg, 33%); m.p. 136-138 $^o$C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.94 (dd, $J$ = 10.3, 2.7 Hz, 1H), 7.62 (dd, $J$ = 9.1, 5.4 Hz, 1H), 7.28 (d, $J$ = 8.1 Hz, 2H), 7.25-7.15 (m, 1H), 7.07 (d, $J$ = 8.0 Hz, 2H), 4.06 (t, $J$ = 7.5 Hz, 2H), 3.70 (s, 1H), 2.31 (s, 3H), 1.98 (t, $J$ = 7.5 Hz, 2H), 1.41 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 158.9, 156.6, 152.6 (d, $J$ = 1.6 Hz), 146.8 (d, $J$ = 4.4 Hz), 146.0, 144.5, 133.6, 129.5, 128.5 (d, $J$ = 8.4 Hz), 127.7, 118.6 (d, $J$ = 25 Hz), 118.5, 117.8 (d, $J$ = 10.5 Hz), 109.7 (d, $J$ = 24.8 Hz), 52.9, 51.9, 29.3, 26.2, 21.5 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3419, 2953, 1610, 1525, 1405, 1334, 1236, 1170, 819, 697, 578; HRMS-ESI (m/z): calcd for $C_{22}H_{24}FN_3NaO_2S$, $[M+Na]^+$: 436.1465, found 436.1475.

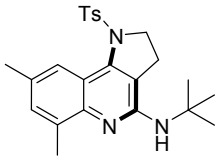
***N*-(*tert*-Butyl)-1-tosyl-8-(trifluoromethyl)-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5e)**




Light yellow solid (60 mg, 43%); m.p. 166-168 $^o$C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.63 (s, 1H), 7.78 (d, $J$ = 8.8 Hz, 1H), 7.66 (dd, $J$ = 8.8, 1.6 Hz, 1H), 7.34 (d, $J$ = 8.2 Hz, 2H), 7.15 (d, $J$ = 8.1 Hz, 2H), 4.15 (t, $J$ = 7.6 Hz, 2H), 4.00 (s, 1H), 2.38 (s, 3H), 2.07 (t, $J$ = 7.6 Hz, 2H), 1.50 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 154.3, 150.5, 147.6, 144.6, 133.5, 129.5, 127.6, 127.4, 124.7 (d, $J$ = 3.2 Hz), 124.0 (q, $J$ = 89.0 Hz), 123.4 (d, $J$ = 3.2 Hz), 123.0, 118.6, 116.5, 52.9, 52.3, 29.1, 26.2,

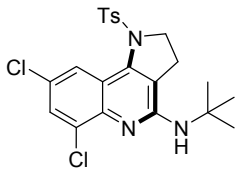
21.5 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3421, 2942, 1616, 1517, 1309, 1159, 1104, 946, 825, 749, 673, 569, 473; HRMS-ESI (m/z): calcd for $C_{23}H_{25}F_3N_3O_2S$, $[M+H]^+$: 464.1614, found 464.1618.

***N*-(*tert*-Butyl)-6,8-dimethyl-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5f)**



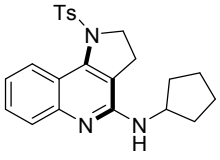

Light red solid (78 mg, 62%); m.p. 161-163 $^o$C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.96 (s, 1H), 7.34 (d, $J$ = 8.1 Hz, 2H), 7.25 (s, 1H), 7.12 (d, $J$ = 8.1 Hz, 2H), 4.10 (t, $J$ = 7.4 Hz, 2H), 3.71 (s, 1H), 2.65 (s, 3H), 2.44 (s, 3H), 2.37 (s, 3H), 1.98 (t, $J$ = 7.2 Hz, 2H), 1.51 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 151.5, 147.1, 146.5, 144.2, 133.9, 133.8, 131.5, 130.6, 129.3, 127.7, 122.6, 117.3, 53.0, 51.7, 29.03, 26.1, 21.5, 21.4, 19.0 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3418, 2924, 1605, 1520, 1447, 1354, 1166, 1095, 1021, 746, 693, 578; HRMS-ESI (m/z): calcd for $C_{24}H_{29}N_3NaO_2S$, $[M+Na]^+$: 446.1873, found 446.1874.

***N*-(*tert*-Butyl)-6,8-dichloro-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5g)**



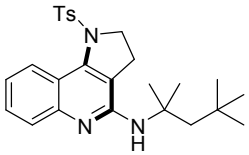

Light yellow solid (87 mg, 63%); m.p. 163-165 $^o$C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.22 (s, 1H), 7.61 (s, 1H), 7.34 (d, $J$ = 7.7 Hz, 2H), 7.16 (d, $J$ = 7.8 Hz, 2H), 4.13 (t, $J$ = 7.8 Hz, 2H), 4.01 (s, 1H), 2.38 (s, 3H), 2.04 (d, $J$ = 5.9 Hz, 2H), 1.53 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 152.9, 146.9, 144.7, 143.9, 133.3, 131.6, 129.6, 129.4, 127.6, 126.0, 123.9, 119.4, 118.9, 53.1, 52.3, 28.8, 26.1, 21.6 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3418, 2924, 1605, 1520, 1447, 1354, 1166, 1095, 1021, 746, 693, 578; HRMS-ESI (m/z): calcd for $C_{22}H_{24}C_{l2}N_3O_2S$, $[M+H]^+$: 464.0961, found 464.0959.

***N*-Cyclopentyl-1-tosyl-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5h)**



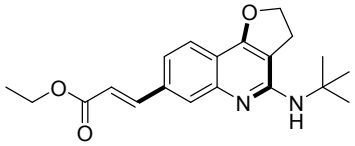
Light red solid (55 mg, 45%); m.p. 155-157 $^{o}$C; $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.35 (d, $J$ = 8.3 Hz, 1H), 7.74 (d, $J$ = 8.4 Hz, 1H), 7.52 (t, $J$ = 7.6 Hz, 1H), 7.32 (d, $J$ = 7.9 Hz, 2H), 7.26 (t, $J$ = 7.6 Hz, 1H), 7.12 (d, $J$ = 7.9 Hz, 2H), 4.57-4.45 (m, 1H), 4.14 (t, $J$ = 7.5 Hz, 2H), 2.35 (s, 3H), 2.13-2.03 (m, 4H), 1.66 (d, $J$ = 5.8 Hz, 4H), 1.36 (dd, $J$ = 12.4, 6.4 Hz, 2H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 153.4, 147.7, 144.4, 133.7, 129.5, 129.4, 127.7, 126.3, 125.8, 121.9, 117. 9, 117.1, 53.2, 52.8, 33.6, 26.1, 23.8, 23.6, 21.57 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3410, 3056, 2947, 1614, 1523, 1349, 1254, 1167, 1082, 1008, 929, 698, 561; HRMS-ESI (m/z): calcd for $C_{23}H_{26}N_3O_2S$, $[M+H]^+$ : 408.1740, found 408.1748.

***N* -Tosyl -(2,4,4-trimethylpentan-2-yl)-2,3-dihydro-1H-pyrrolo[3,2-c]quinolin-4-amine (5i)**




Light pink solid (92 mg, 68%); m.p. 149-151 $^{o}$C; $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.38 (d, $J$ = 8.3 Hz, 1H), 7.77 (d, $J$ = 8.2 Hz, 1H), 7.55 (t, $J$ = 7.6 Hz, 1H), 7.35 (d, $J$ = 8.1 Hz, 2H), 7.29 (t, $J$ = 7.6 Hz, 1H), 7.14 (d, $J$ = 8.1 Hz, 2H), 4.17 (t, $J$ = 7.5 Hz, 2H), 3.83 (s, 1H), 2.39 (s, 3H), 2.24-1.87 (m, 4H), 1.57 (s, 6H), 0.94 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 152.9, 149.2, 144.2, 133.5, 129.4, 128.9, 127.6, 126.7, 125.7, 121.6, 117.5, 55.7, 52.9, 50.8, 31.8, 31.5, 29.9, 26.1, 21.5 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3420, 2954, 1735, 1525, 1407, 1366, 1240, 1167, 1084, 936, 762, 697, 578, 545; HRMS-ESI (m/z): calcd for $C_{26}H_{33}N_3O_2S$, $[M+H]^+$ : 452.2366, found 452.2375.

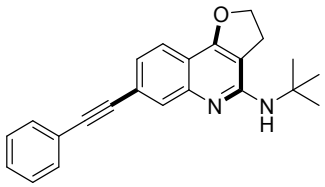
**(*E*)-Ethyl -3-(4-(*tert*-butylamino)-2,3-dihydrofuro[3,2-c]quinolin-7-yl)acrylate (6a)**




Yellow solid (61.0 mg, 90%); m.p. 153-155 $^{o}$C; $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.71 (d, $J$ = 16.5 Hz, 2H), 7.58 (d, $J$ = 8.4 Hz, 1H), 7.22 (dd, $J$ = 8.4, 1.2 Hz, 1H), 6.44 (d, $J$ = 15.9 Hz, 1H), 4.69 (t, $J$ = 9.0 Hz, 2H), 4.18 (q, $J$ = 7.1 Hz, 2H), 3.97 (s, 1H), 2.93 (t, $J$ = 9.0 Hz, 2H), 1.49 (s, 9H), 1.25 (t, $J$ = 7.1 Hz, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 167.0, 162.4, 154.6, 149.1, 145.2, 134.8, 127.8, 121.7, 119.3, 118.3, 114.6, 104.7, 72.3, 60.3, 51.9, 29.5 , 27.4, 14.3 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3404,

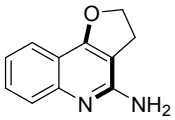
2966, 2920, 1708, 1636, 1519, 1443, 1362, 1172, 1080, 981, 863, 813, 770, 635; HRMS-ESI (m/z): calcd for $C_{20}H_{25}N_2O_3$, $[M+H]^+$ : 341.1860, found 341.1872.

***N*-(*tert*-Butyl)-7-(phenylethynyl)-2,3-dihydrofuro[3,2-c]quinolin-4-amine (7a)**



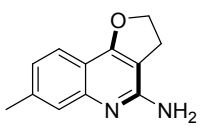
Yellow solid (55mg, 81%); m.p. 200-202 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.83 (s, 1H), 7.56 (d, $J$ = 8.3 Hz, 1H), 7.45 (dd, $J$ = 7.6, 1.6 Hz, 2H), 7.26-7.21 (m, 3H), 7.17 (dd, $J$ = 8.3, 1.1 Hz, 1H), 4.65 (t, $J$ = 9.0 Hz, 2H), 3.92 (s, 1H), 2.88 (t, $J$ = 9.0 Hz, 2H), 1.47 (s, 9H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 162.4, 154.6, 148.7, 131.6, 130.1, 128.3, 128.1, 123.7, 123.4, 121.2, 113.4, 104.3, 90.2, 89.7, 72.3, 51.9, 29.5, 27.4 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3434, 2964, 2915, 2638, 1562, 1511, 1431, 1232, 1079, 898, 753, 690; HRMS-ESI (m/z): calcd for $C_{23}H_{22}N_2O$, $[M+H]^+$ : 343.1805, found 343.1818.

**2,3-di-Hydrofuro[3,2-c]quinolin-4-amine (8a)**



Light yellow solid (32 mg, 85%); m.p. 185-188 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 8.0 Hz, 1H), 7.64 (d, J = 8.5 Hz, 1H), 7.50 (t, $J$ = 7.6 Hz, 1H), 7.20 (t, $J$ = 7.5 Hz, 1H), 4.83 (t, $J$ = 9.0 Hz, 2H), 3.13 (t, $J$ = 9.0 Hz, 2H), 1.25 (s, 2H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 164.4, 154.8, 148.6, 129.7, 125.5, 121.9, 121.4, 113.9, 103.2, 72.9, 27.1 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3567, 3458, 3069, 2920, 1653, 1574, 1457, 1408, 1263, 1159, 914, 751, 648, 534, 450; HRMS-ESI (m/z): calcd for $C_{11}H_{10}N_2O$, $[M+H]^+$ : 187.0866, found 187.0865.

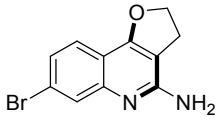
**7-Methyl-2,3-*di*-hydrofuro[3,2-c]quinolin-4-amine (8b)**



Light yellow solid (30 mg, 75%); m.p. 210-212 °C;$^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.60 (d, $J$ = 8.6 Hz, 2H), 7.13 (d, $J$ = 8.6 Hz, 1H), 5.44 (s, 2H), 4.83 (t, $J$ = 8.9 Hz, 2H), 3.13 (t, $J$ = 8.9 Hz, 2H), 1.25 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ 164.5, 155.4, 148.1, 135.9, 123.8, 122.9, 112.1, 103.4,

73.3, 29.7, 27.0 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3462, 2922, 2951, 1765, 1645, 1379, 1243, 1059, 903, 762, 535, 542; HRMS-ESI (m/z): calcd for $C_{12}H_{13}N_2O$, $[M+H]^+$ : 201.1022, found 201.1024.

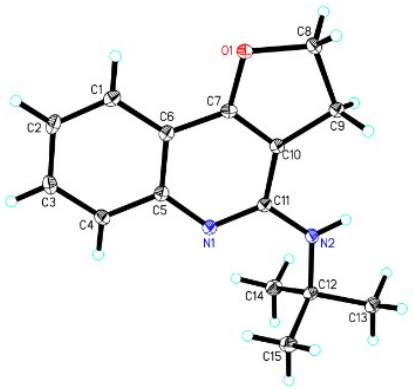
**7-Bromo-2,3-dihydrofuro[3,2-c]quinolin-4-amine (8f)**




Light yellow solid (32 mg, 68%); m.p. 171-173 °C; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.63 (s, 1H), 7.54 (d, $J$ = 8.6 Hz, 1H), 7.24 (d, $J$ = 8.6 Hz, 1H), 6.63 (s, 2H), 4.82 (t, $J$ = 9.1 Hz, 2H), 3.11 (t, $J$ = 9.1 Hz, 2H); $^{13}$C NMR (100 MHz, DMSO) $\delta$ 163.1, 157.1, 149.7, 126.9, 123.9, 123.4, 122.9, 112.3, 104.9, 73.6, 27.7 ppm; $\nu_{max}$(KBr)/cm$^{-1}$ 3330, 2921, 1735, 1614, 1525, 1407, 1366, 1240, 1167, 1084, 936, 762, 697, 578, 545; HRMS-ESI (m/z): calcd for $C_{11}H_{10}BrN_2O$, $[M+H]^+$ : 264.9971, found 264.9975.

## E. X-ray Crystallographic Analysis for Product 3a

The X-ray crystallographic structures for **3a**. ORTEP representation with 50% probability thermal ellipsoids. Crystal data have been deposited to CCDC, number 1578030.




| | |
|---|---|
| Empirical formula | $C_{11}H_{10}N_2O$ |
| Formula weight | 186.07 |
| Temperature | 100(2) K |
| Wavelength | 0.71073 Å |

| | |
|---|---|
| Crystal system, space group | Monoclinic, C 1 2/m 1 |
| Unit cell dimensions | a = 20.1725 (15) Å alpha = 90.00 deg.<br>b = 7.0286 (5) Å beta = 92.475 (7) deg.<br>c = 8.7880 (7) Å gamma = 90.00 deg. |
| Volume | 1244.84 (16) $Å^3$ |
| Z, Calculated density | 4, 1.293 $Mg/m^3$ |
| Absorption coefficient | 0.082 $mm^{-1}$ |
| F(000) | 520 |
| Crystal size | 0.20×0.10×0.10 mm |
| Theta range for data collection | 3.822 to 25.478 deg. |
| Limiting indices | -22≤ h ≤ 24, -8≤ k ≤ 8, -10 ≤ l ≤ 10 |
| Reflections collected / unique | 1253 / 1155 [R(int) = 0.0453] |
| Completeness to theta = 26.32 | 99.6% |
| Refinement method | Full-matrix least-squares on $F^2$ |
| Data / restraints / parameters | 3388 / 0 / 117 |
| Goodness-of-fit on $F^2$ | 1.085 |
| Final R indices [I>2sigma(I)] | R1 = 0.0428, wR2 = 0.1088 |
| R indices (all data) | R1 = 0.0453, wR2 = 0.1069 |

## F. References


1. G. Xia, X. Han and X. Lu, *Org. Lett.*, 2014, **16**, 6184.

2. a) F. J. Teichert, S. Zhang, W. A. Van Zijl, J. Slaa, J. A. Minnaard and B. L. Feringa, *Org. Lett*., 2012, **12**, 4658. b) B. V. Subba Reddy, M. Swain, S. M. Reddy, J. S. Yadav and B. Sridhar, *J. Org. Chem.*, 2012, **77**, 11355. c) M. V. Karkhelikar, R. R. Jha, B. Sridhar, P. R. Likhar and A. K. Verma, *Chem. Commun*., 2014, **50**, 8526.

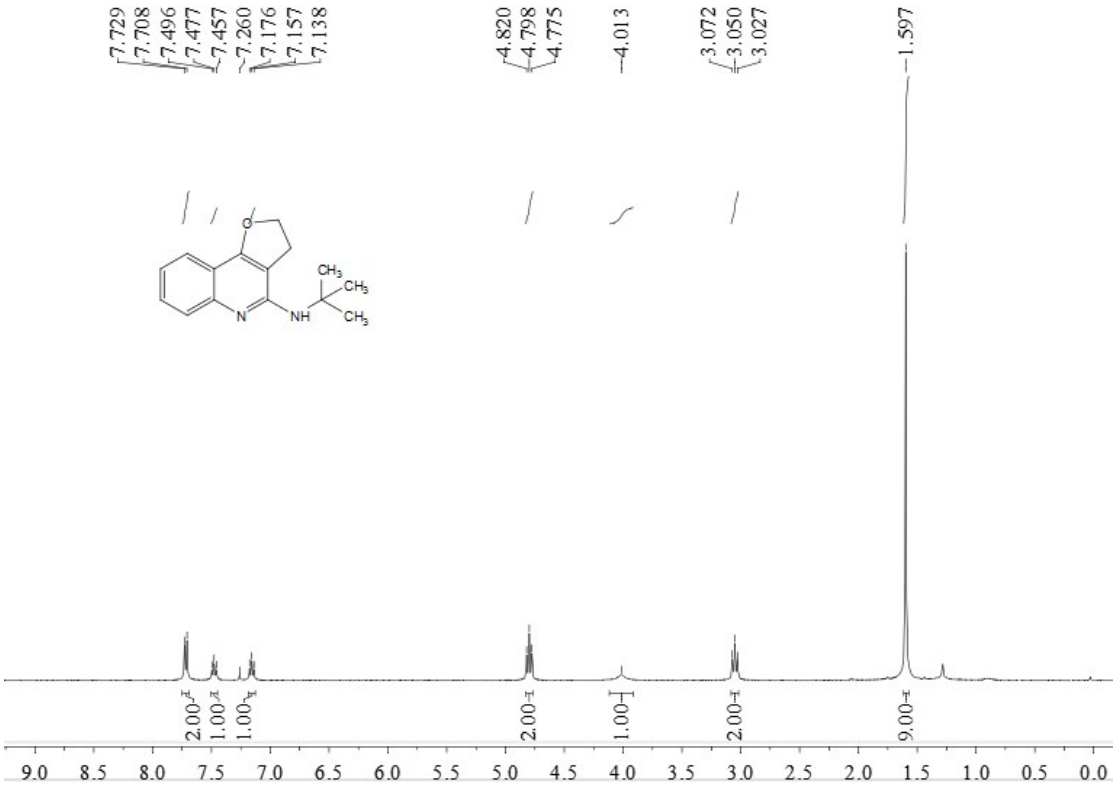
3. a) B. Guyen, C. M. Schultes, P. Hazel, J. Mann and S. Neidle, *Org. Biomol. Chem*., 2004, **2**, 981. b) Y. O. Boahen and J. Mann, *Chemistry of Natural Compounds*, 2014, **50**, 494. c). H. Lee, C. Chang, C. Su, H. Huang, M. Mehndiratta, Y. Chao, C. Hsu, S. Kumar, T. Sung, Y. Huang, Y. Li, C. Yang and J. B. Liou, *Eur. J. Med. Chem*., 2016, **122**, 92.

4. Y. Wen, L. Huang, H. Jiang and H. Chen, *J. Org. Chem.*, 2012, **77**, 2029.

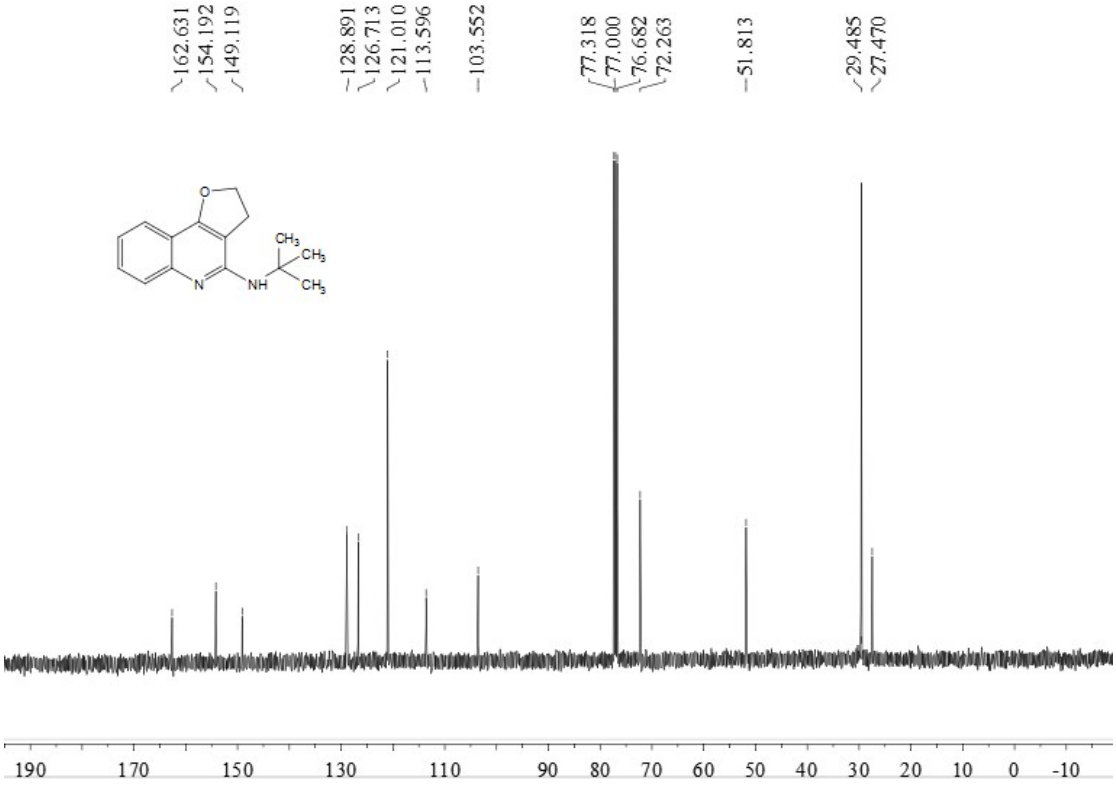
5. a) D. J. Watson, E. D. Dowdy, W. Li, J. Wang and R. Polniaszek, *Tetrahedron Lett.*, 2001, **42**, 1827.
b) K. D. Schleicher and T. F. Jamison, *Org. Lett.*, 2007, **9**, 87.

## G. $^{1}H$ and $^{13}C$ NMR Spectra

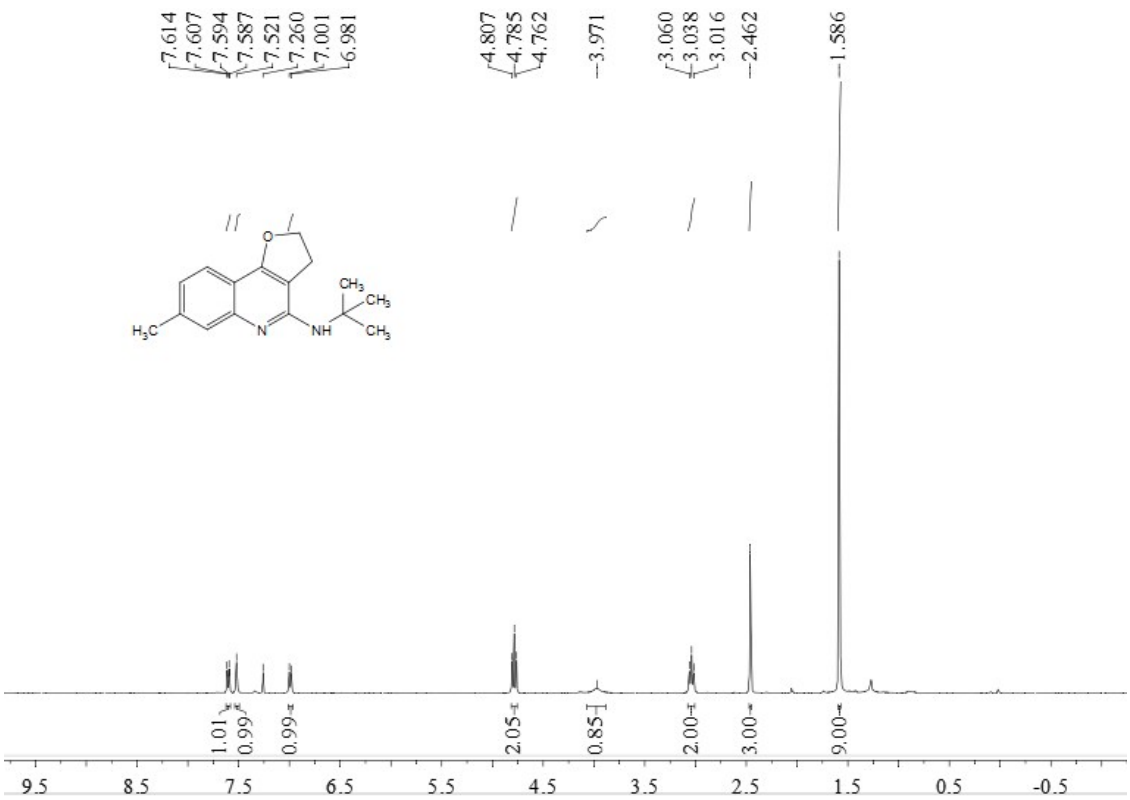
**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3a**



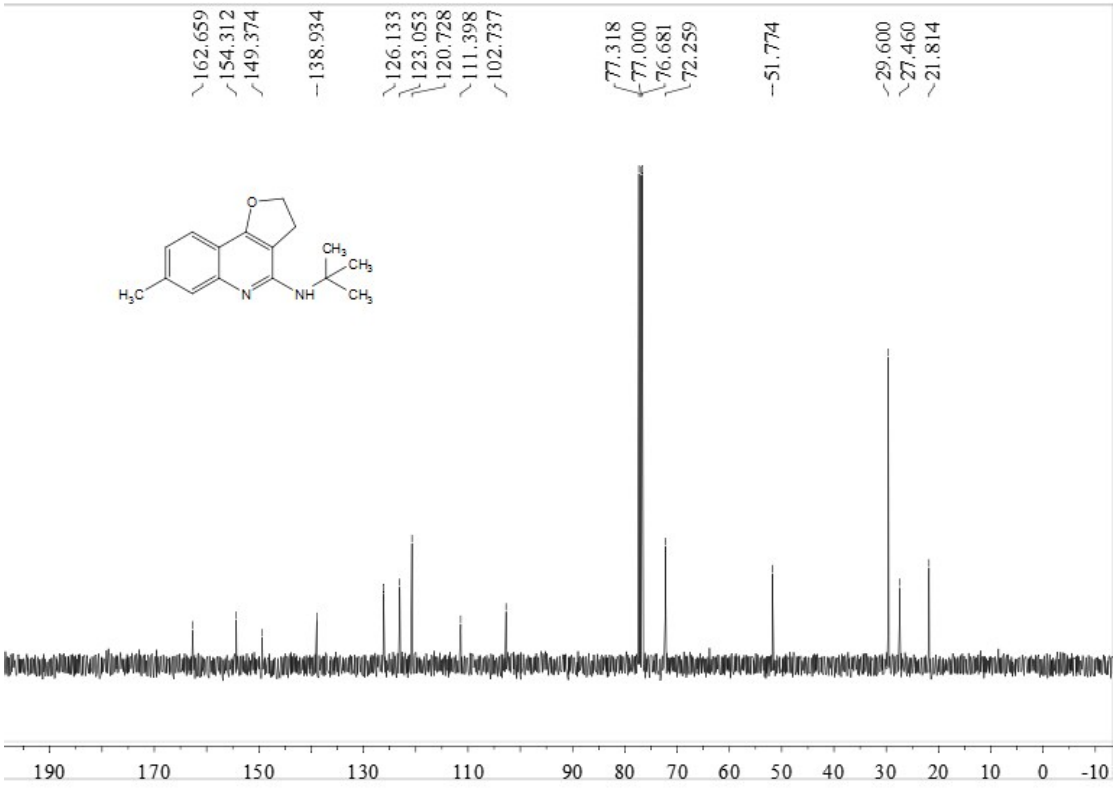

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3a**



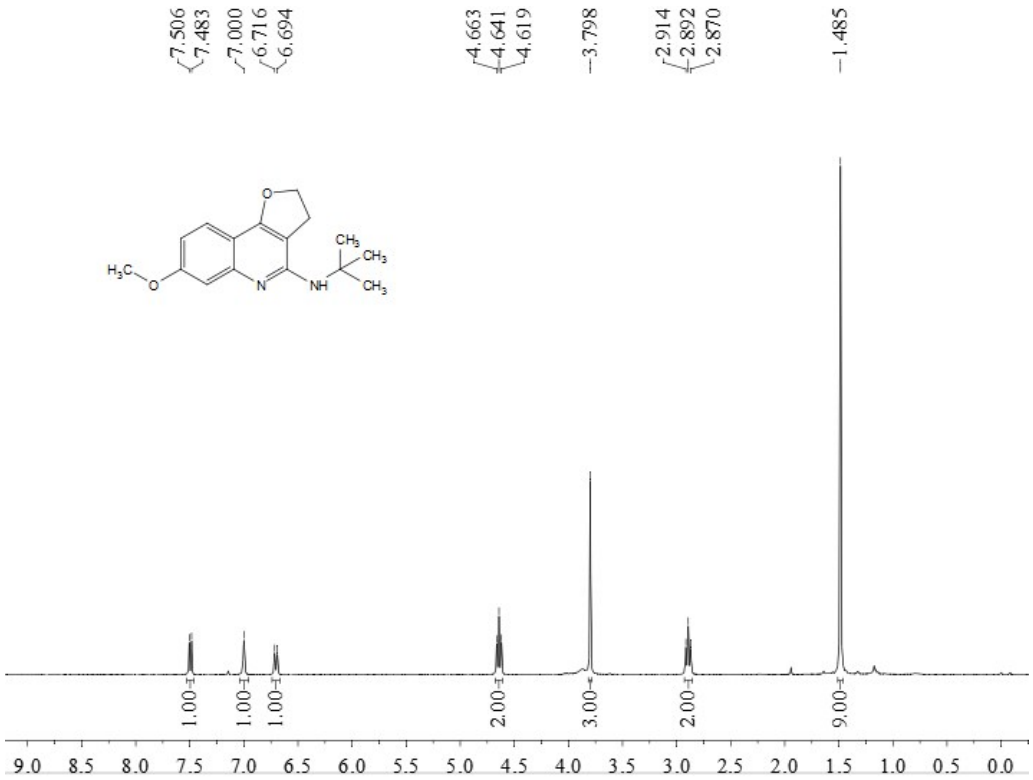

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3b**



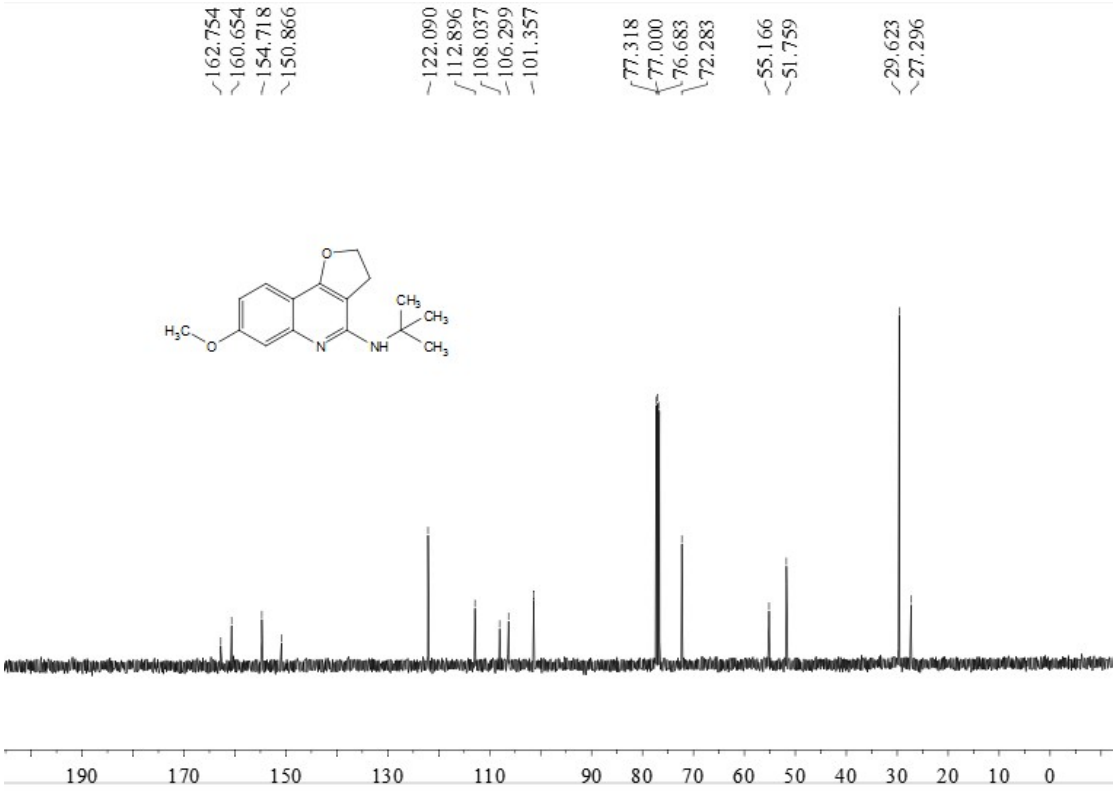

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3b**



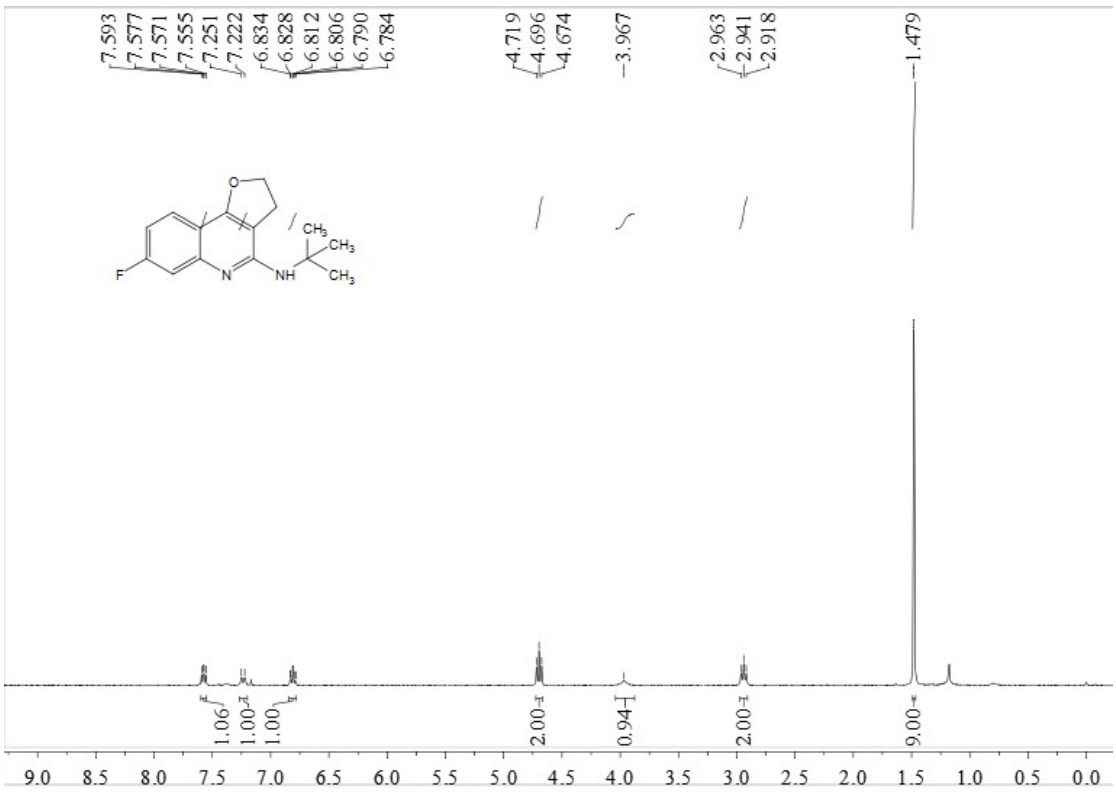
**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3c**



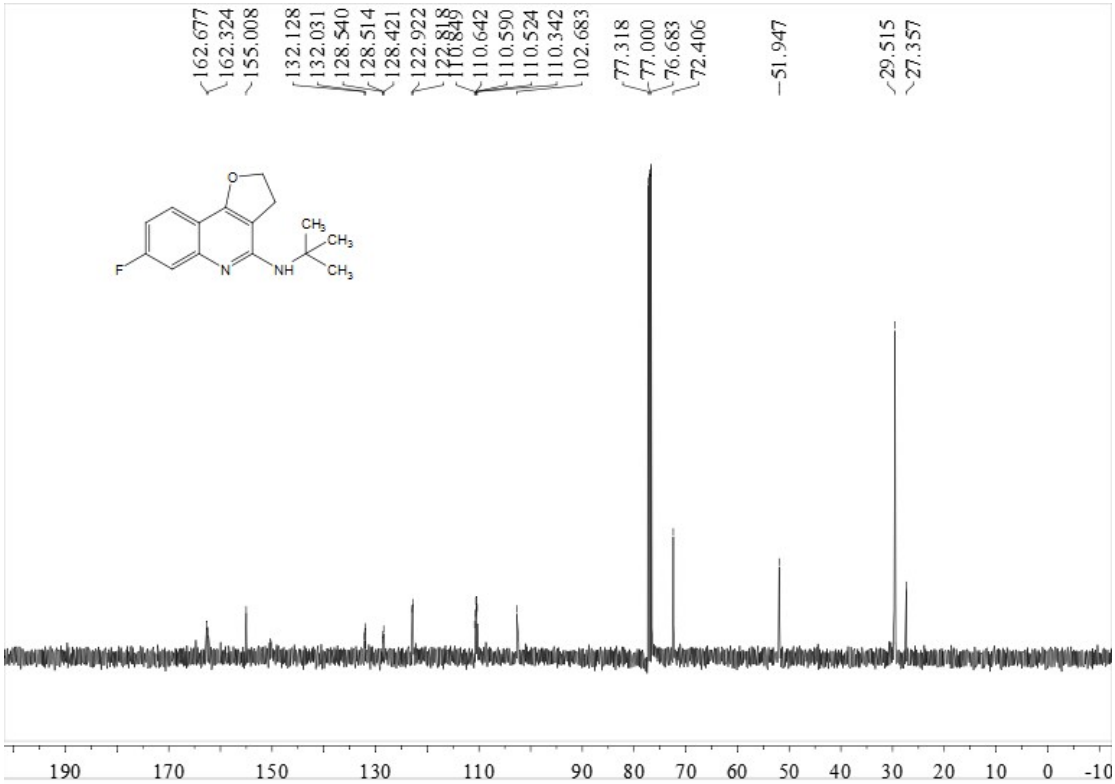

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3c**



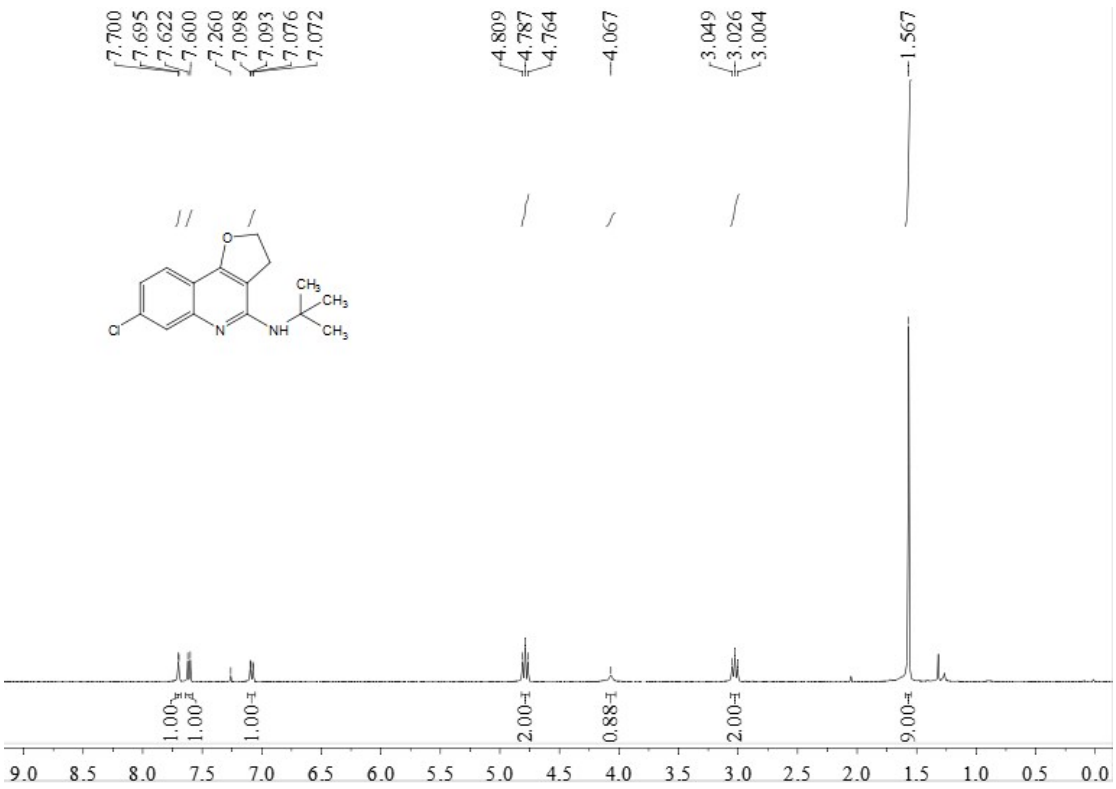

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3d**



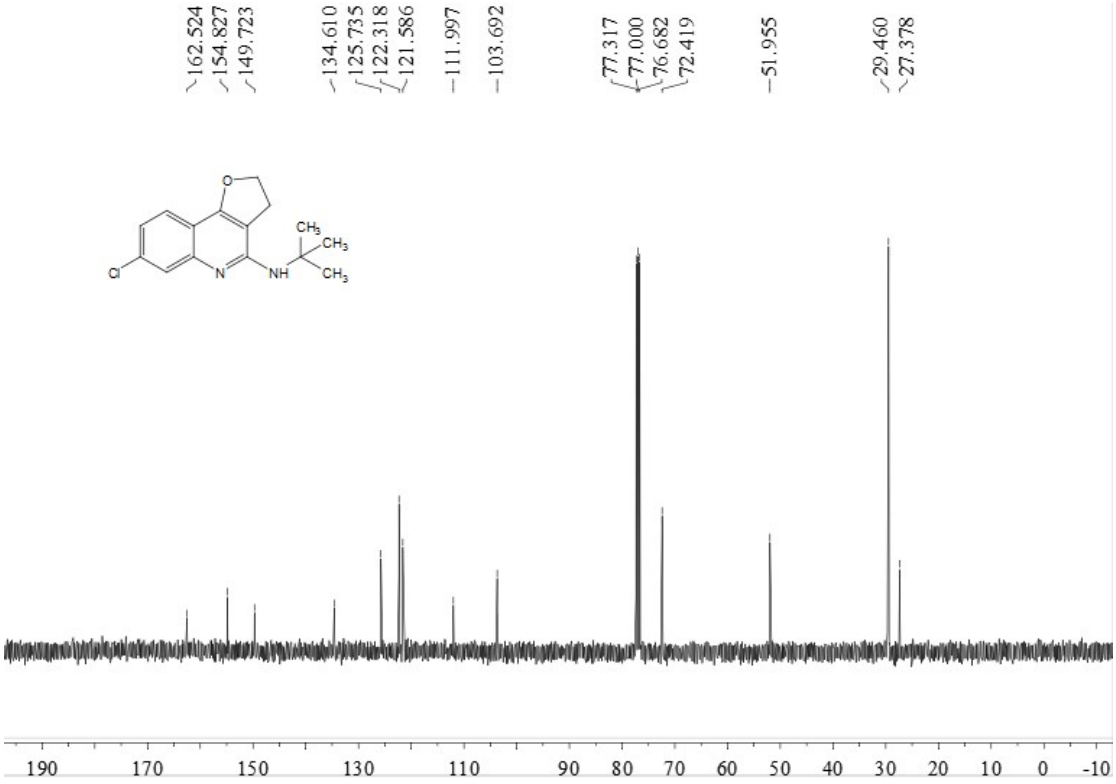

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3d**



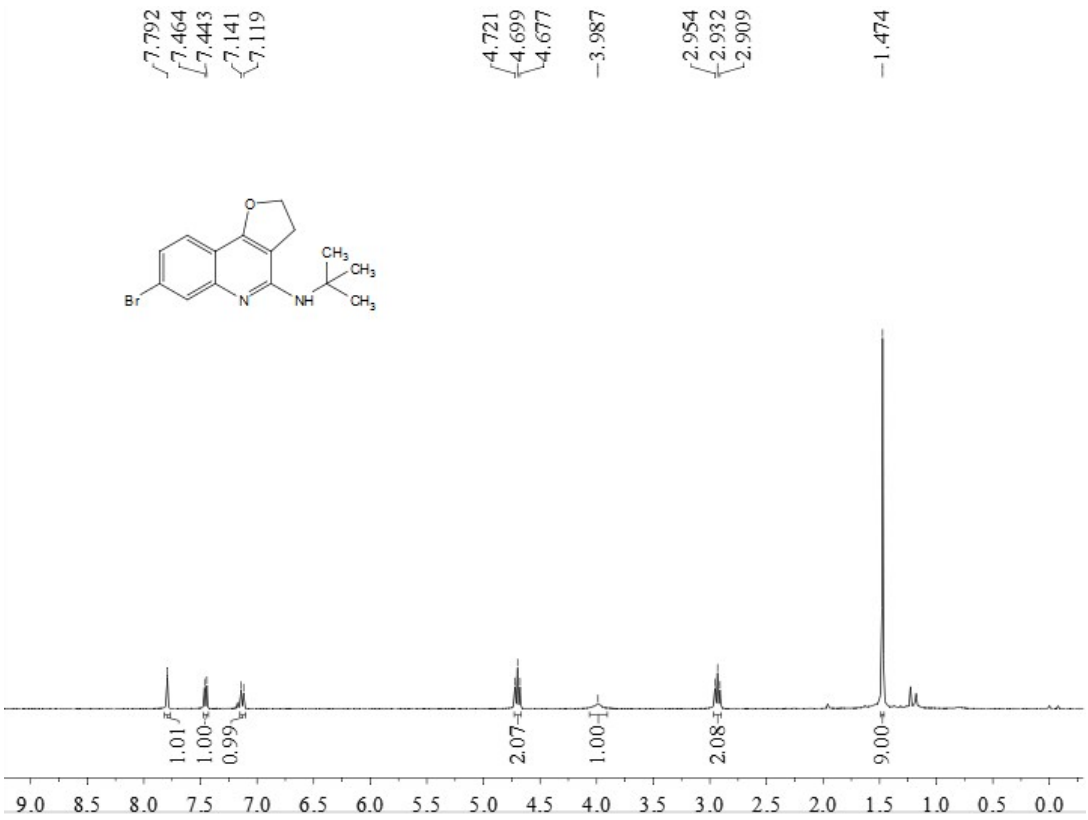

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3e**



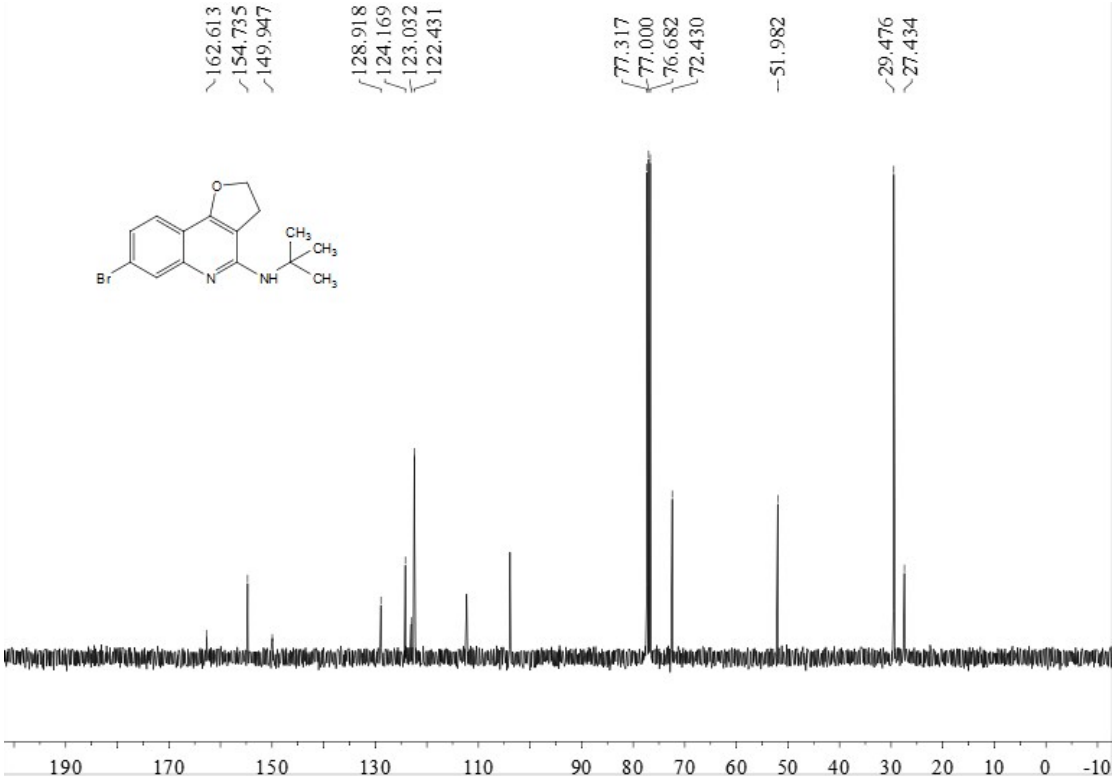

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3e**



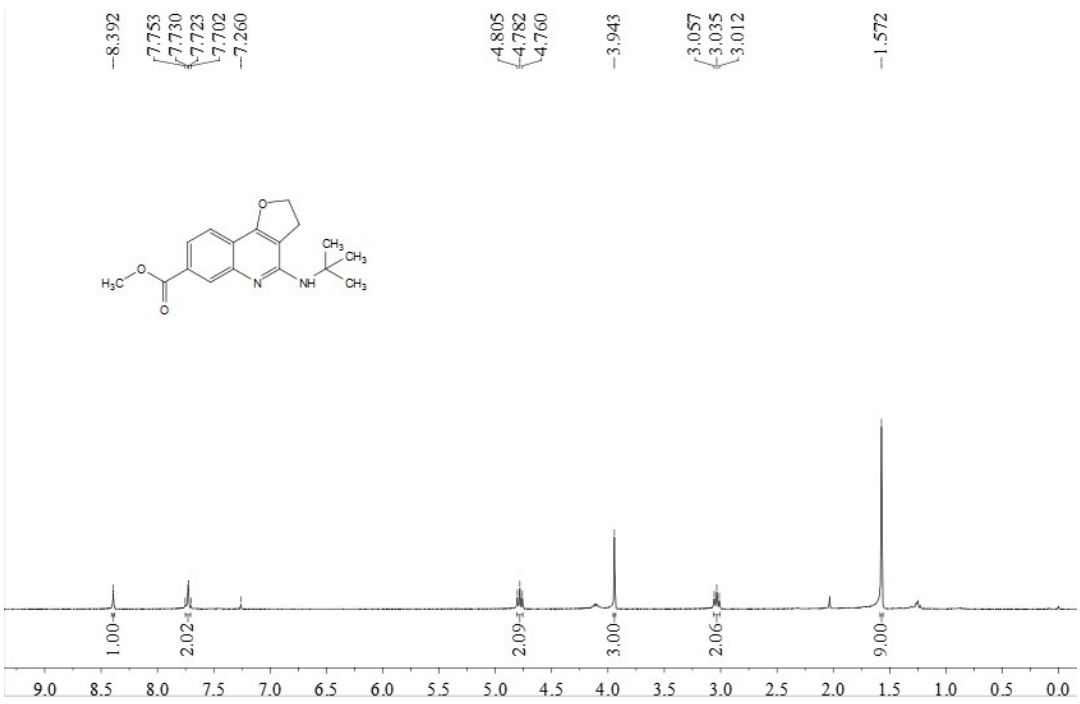

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3f**



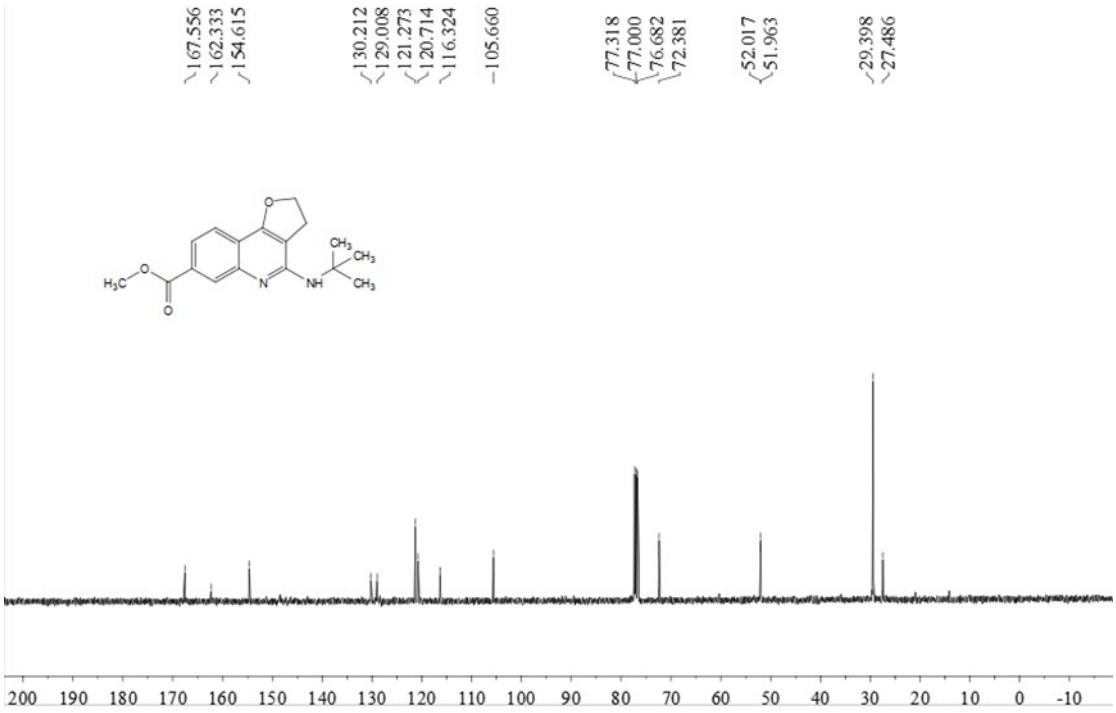

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3f**



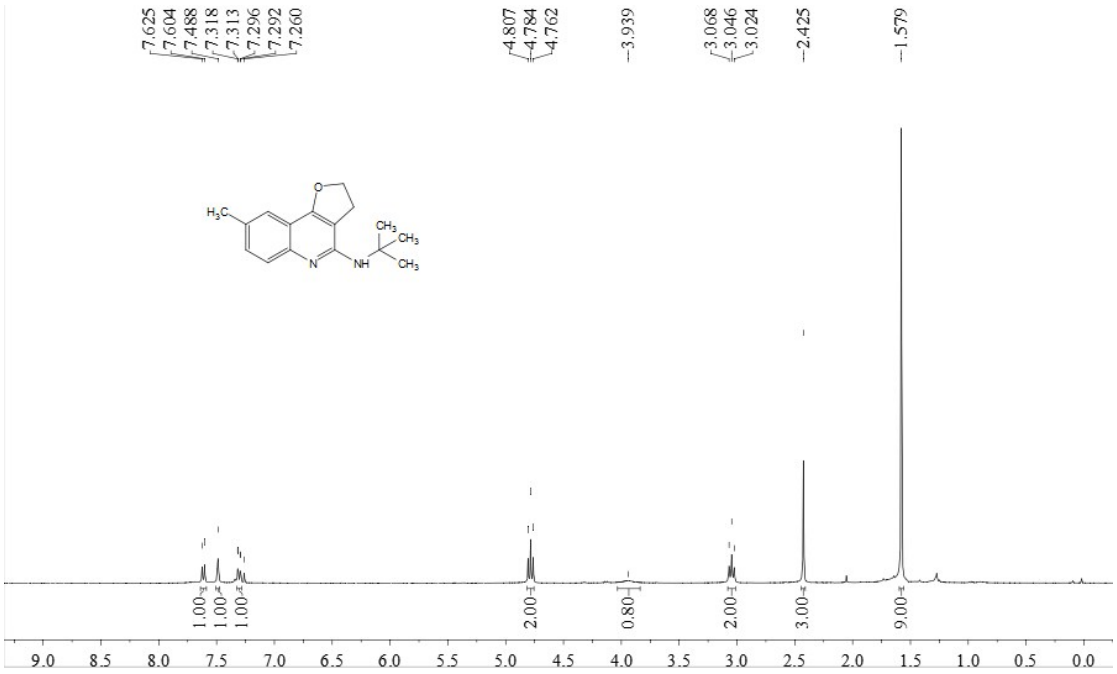

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3g**



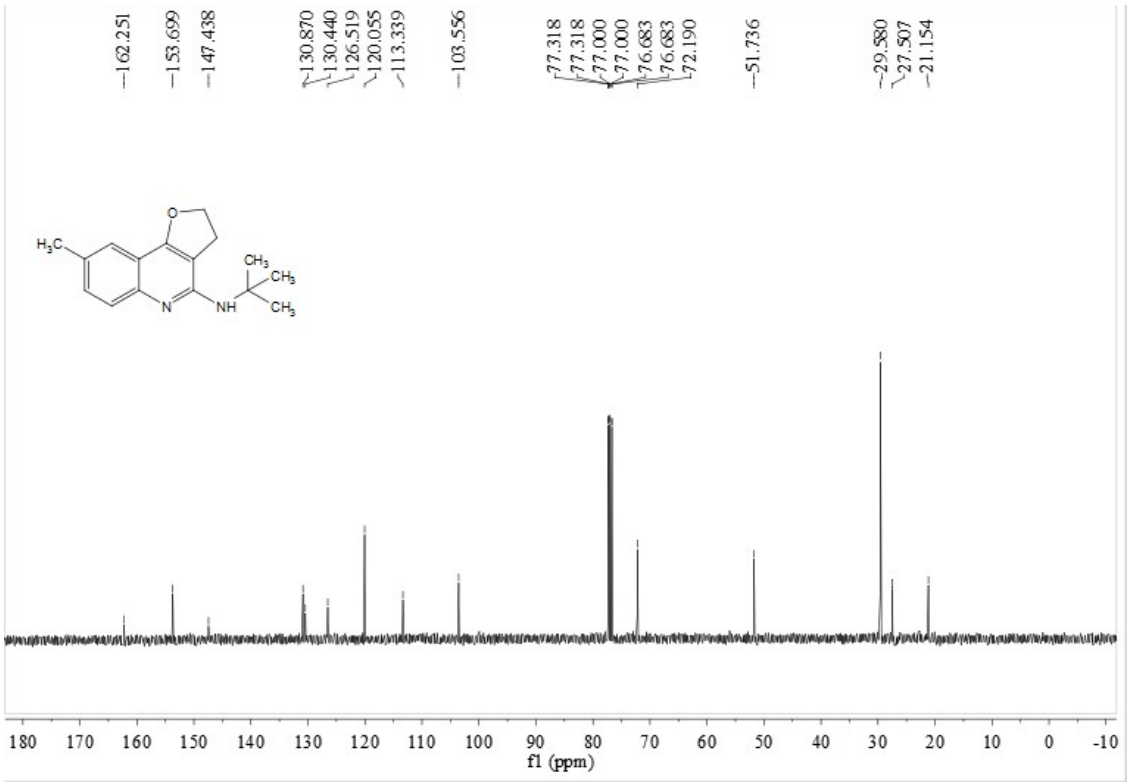

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3g**



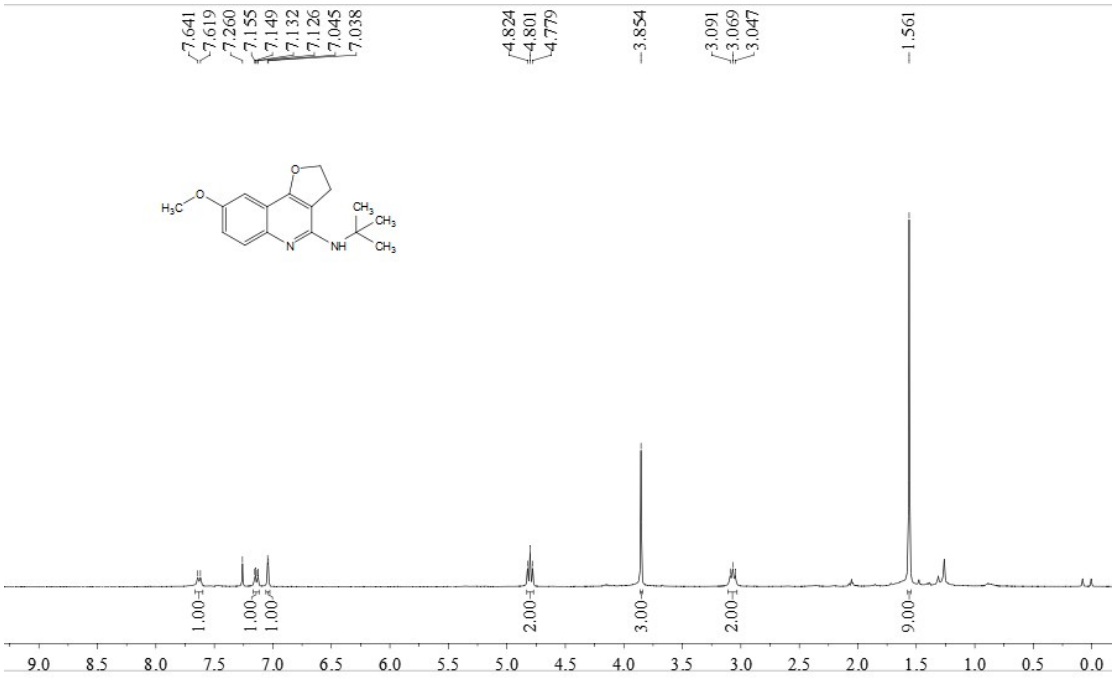

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3h**



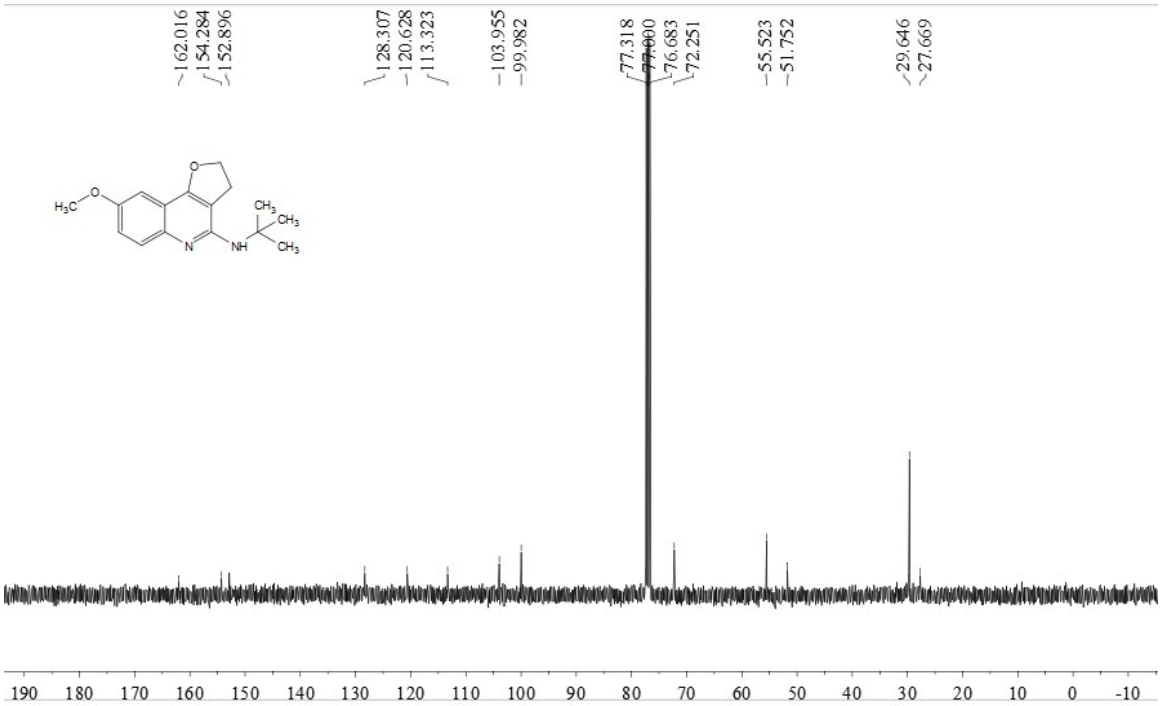

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3h**



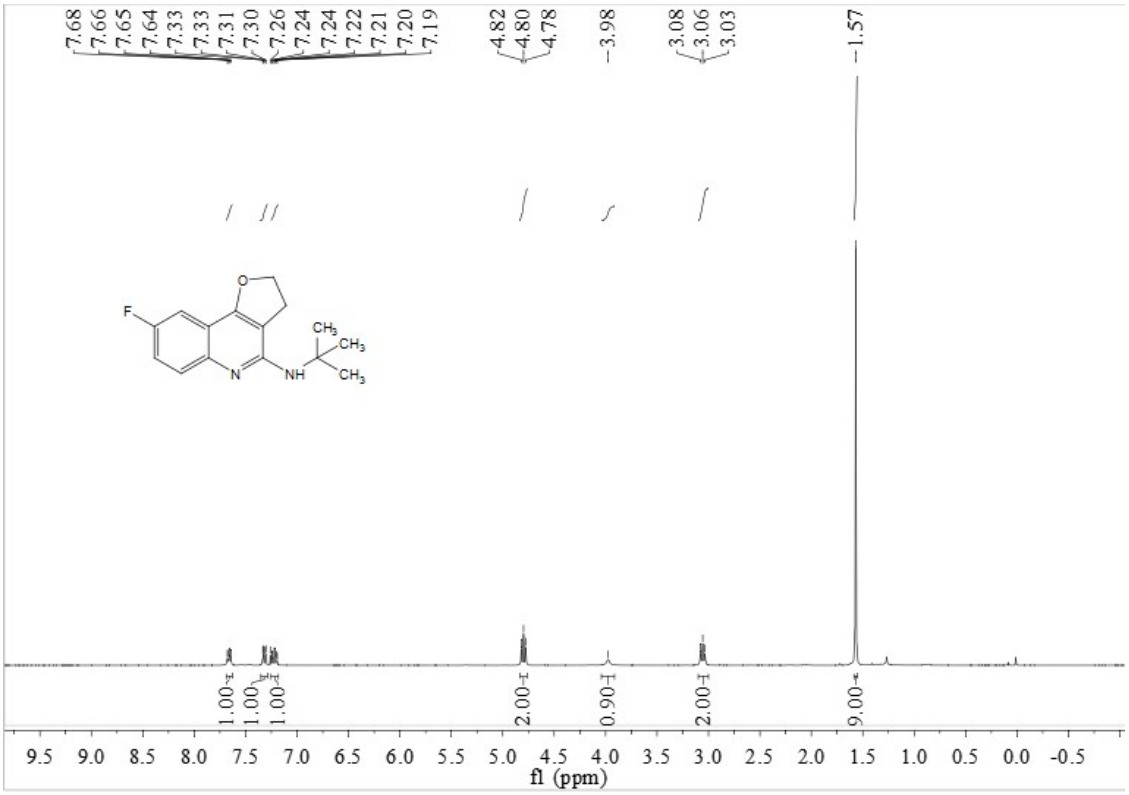

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3i**



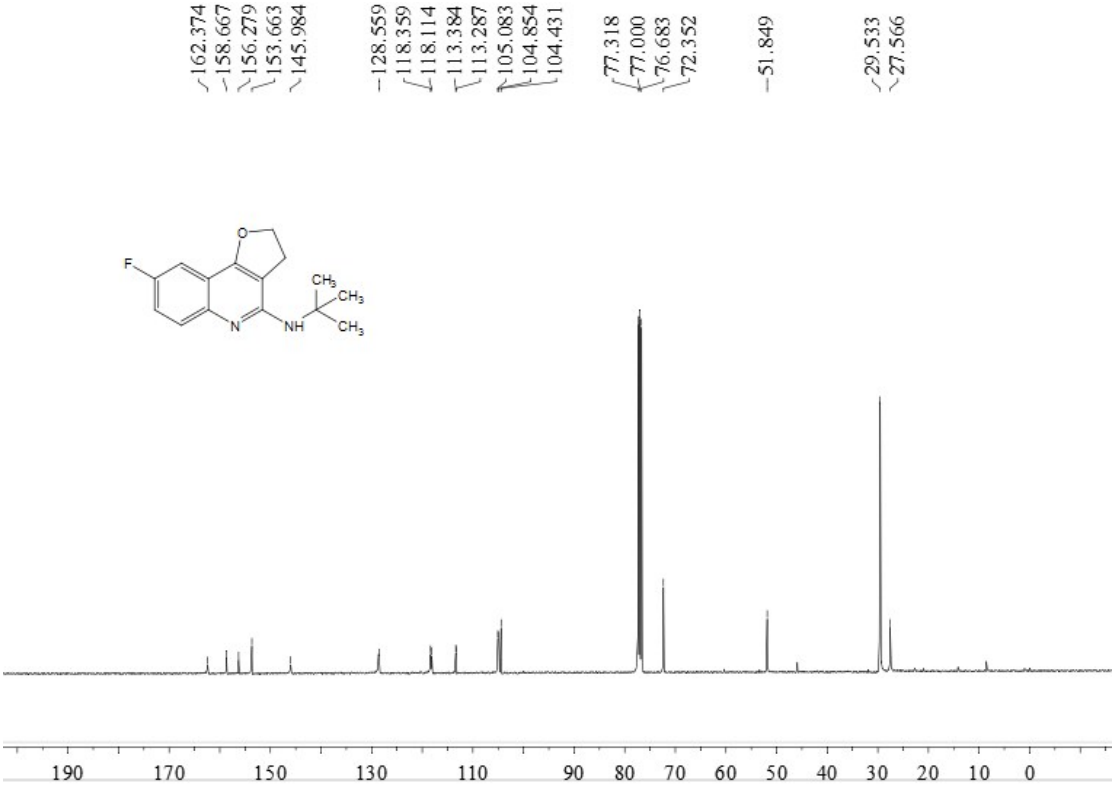

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3i**



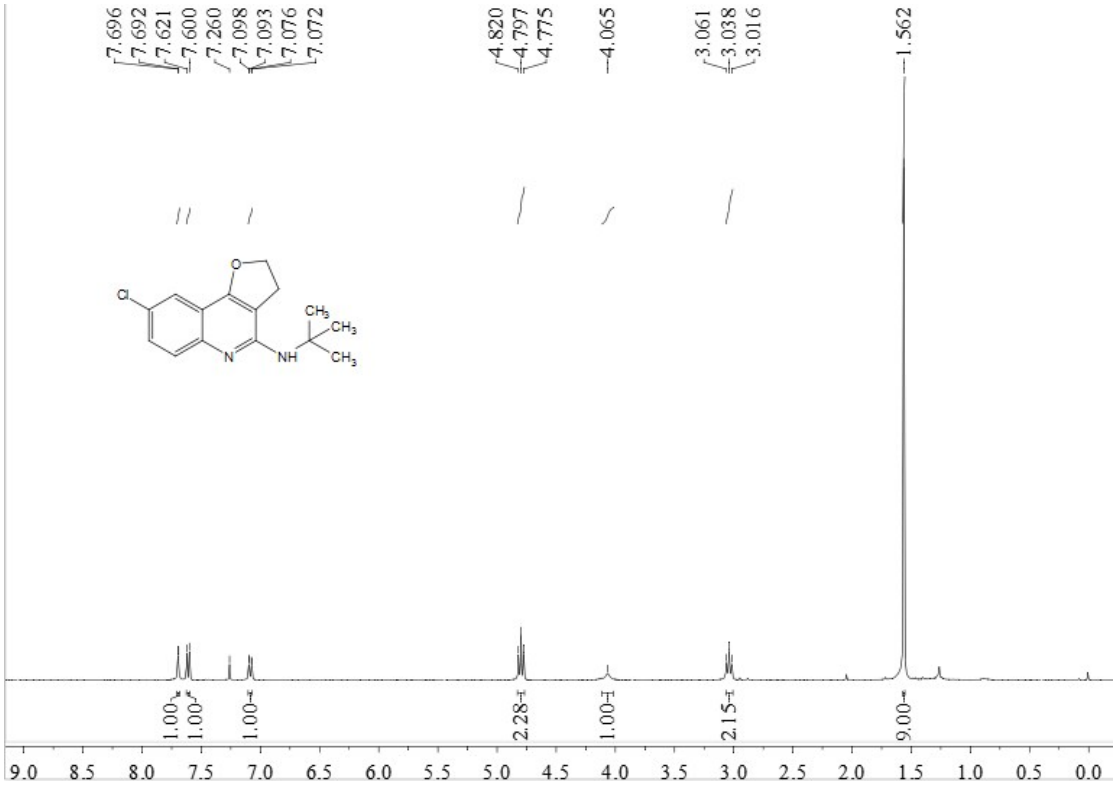

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3j**



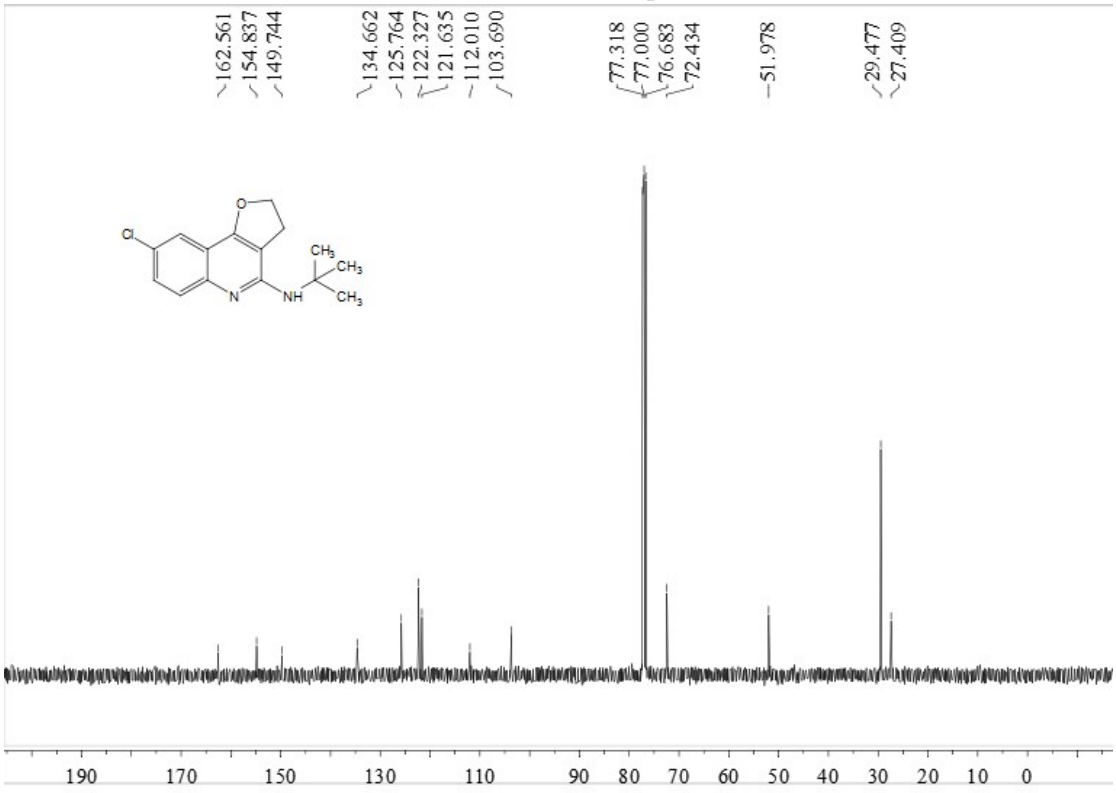

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3j**



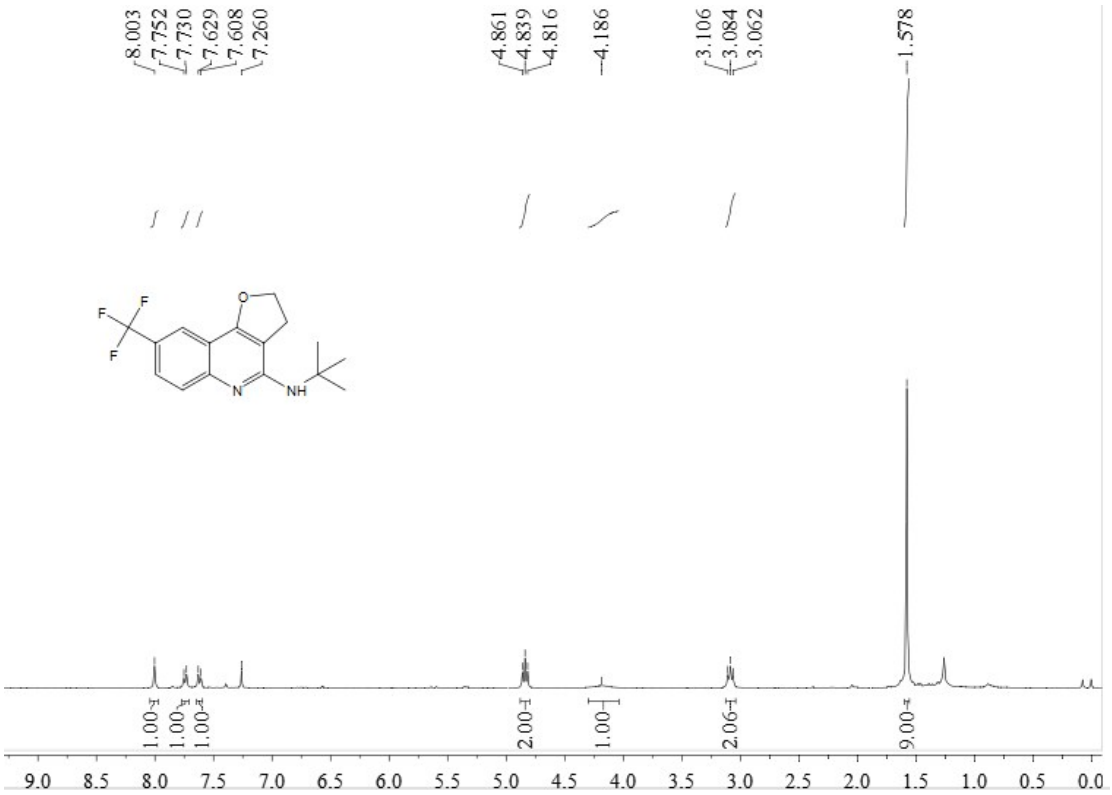
**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3k**



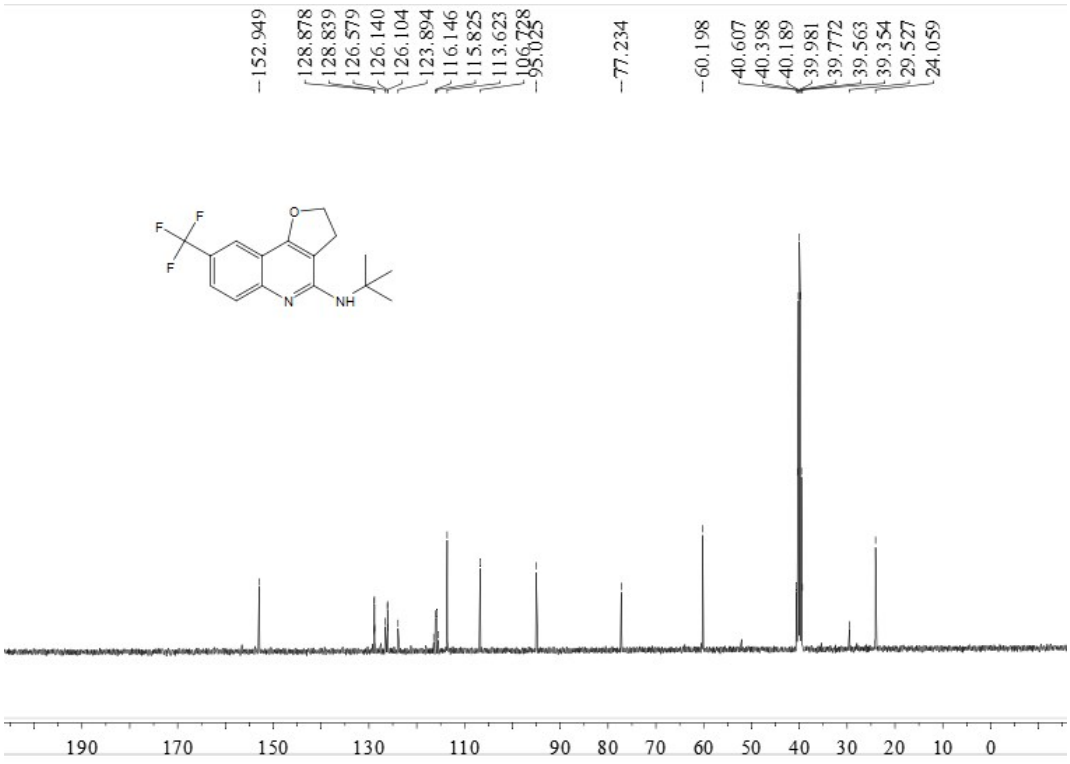

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3k**



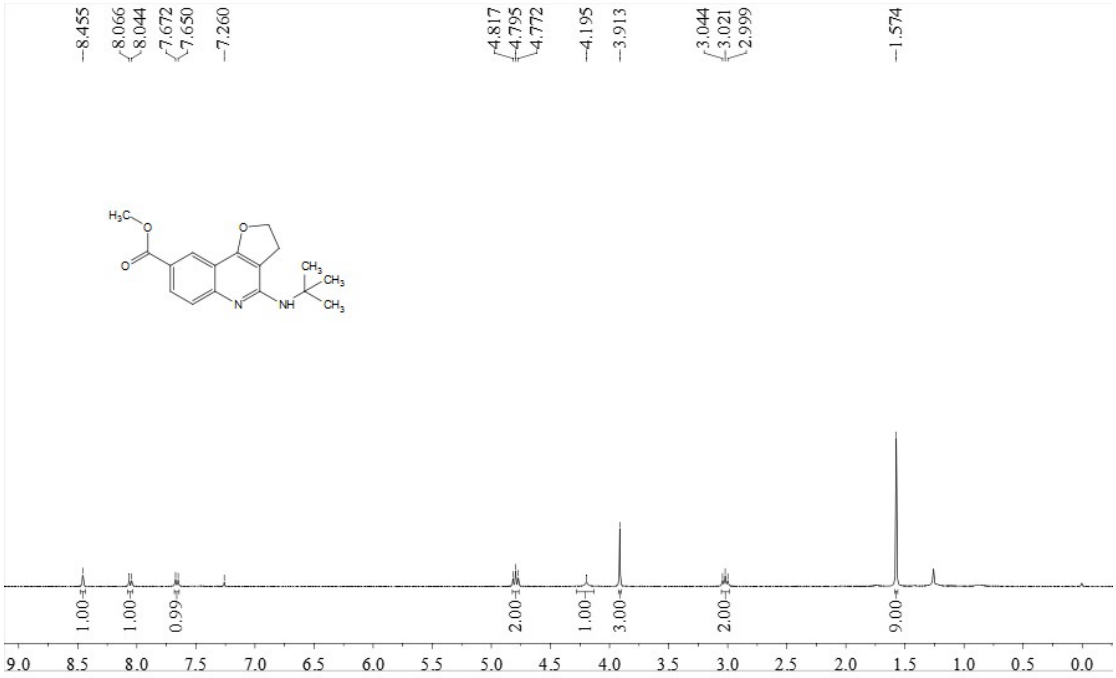
**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3l**



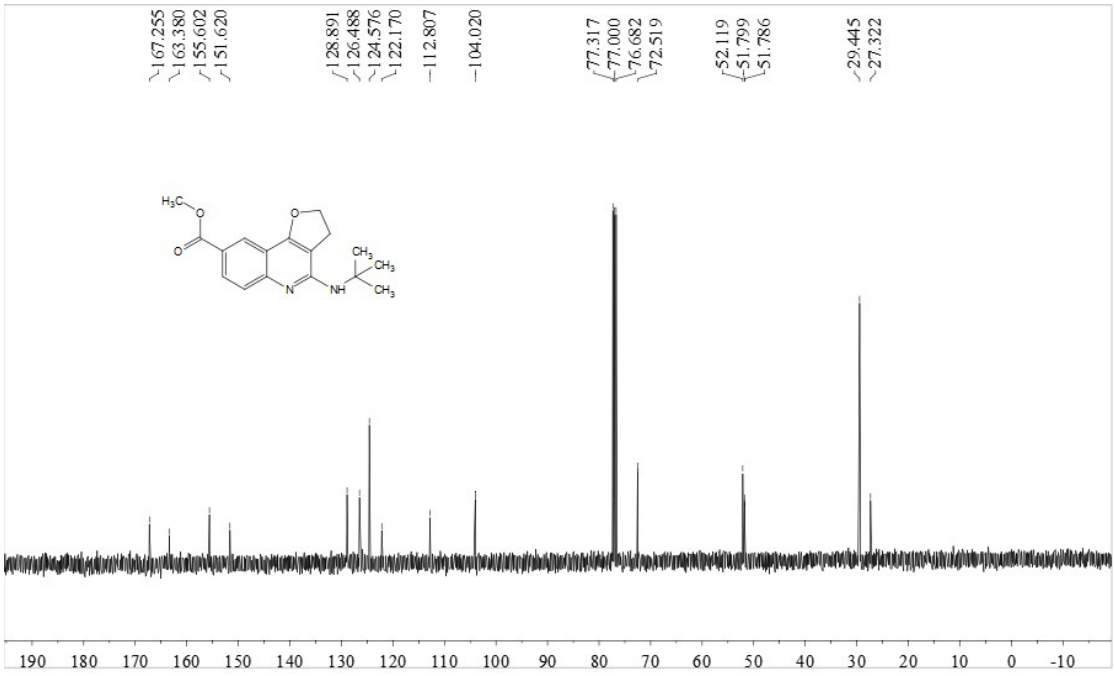

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3l**



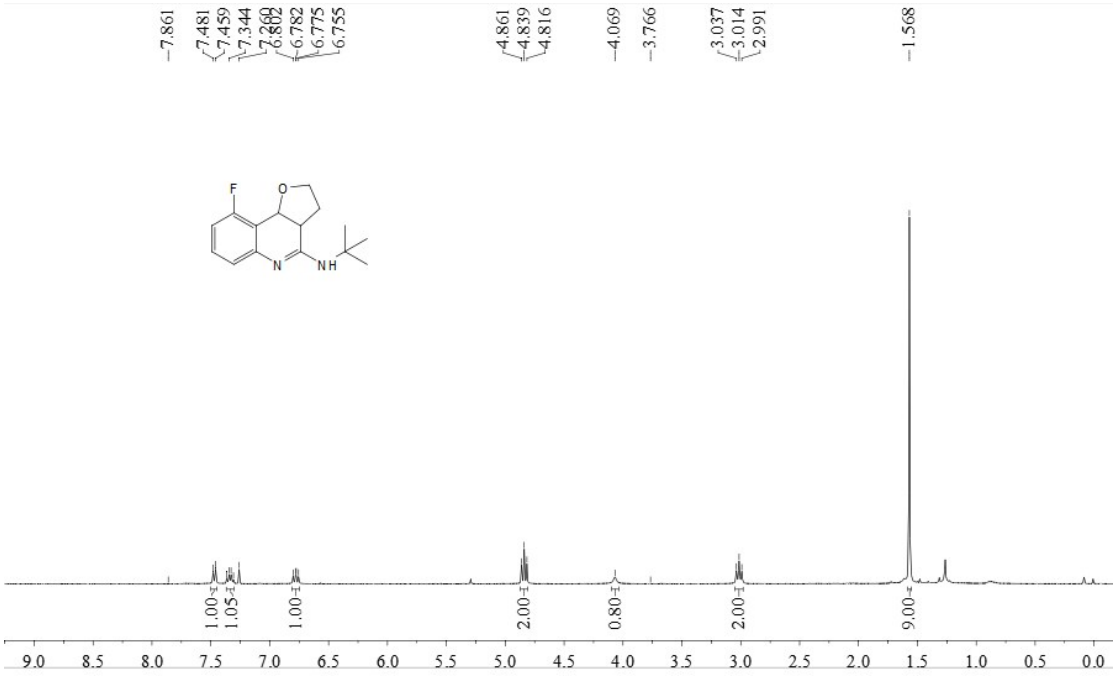

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3m**



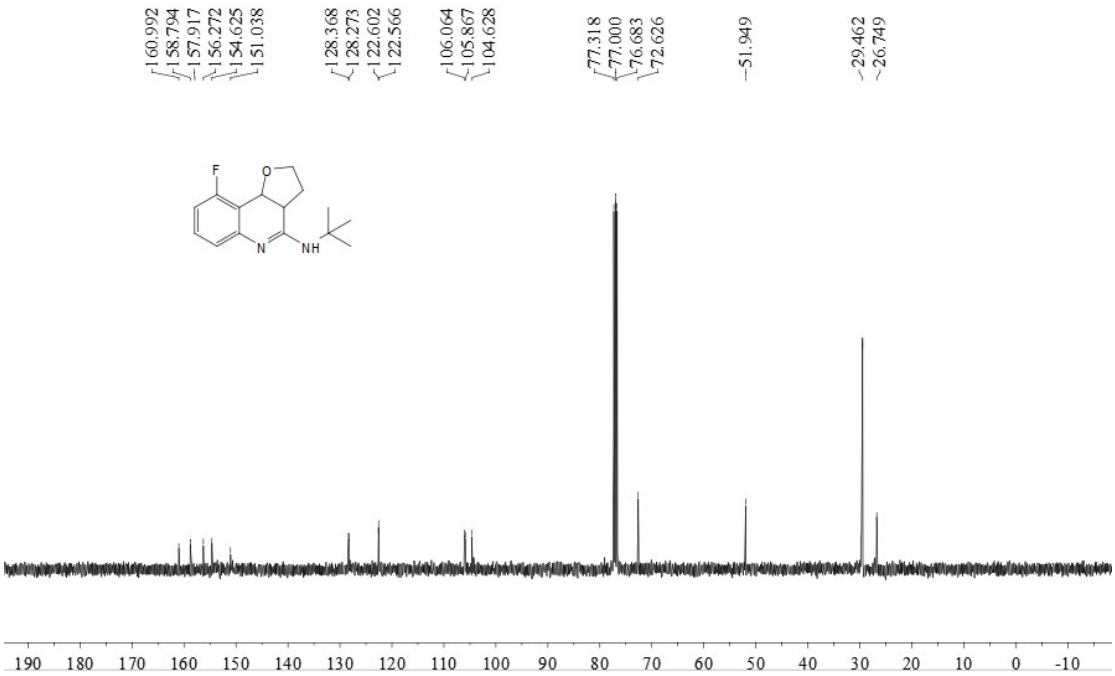

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3m**



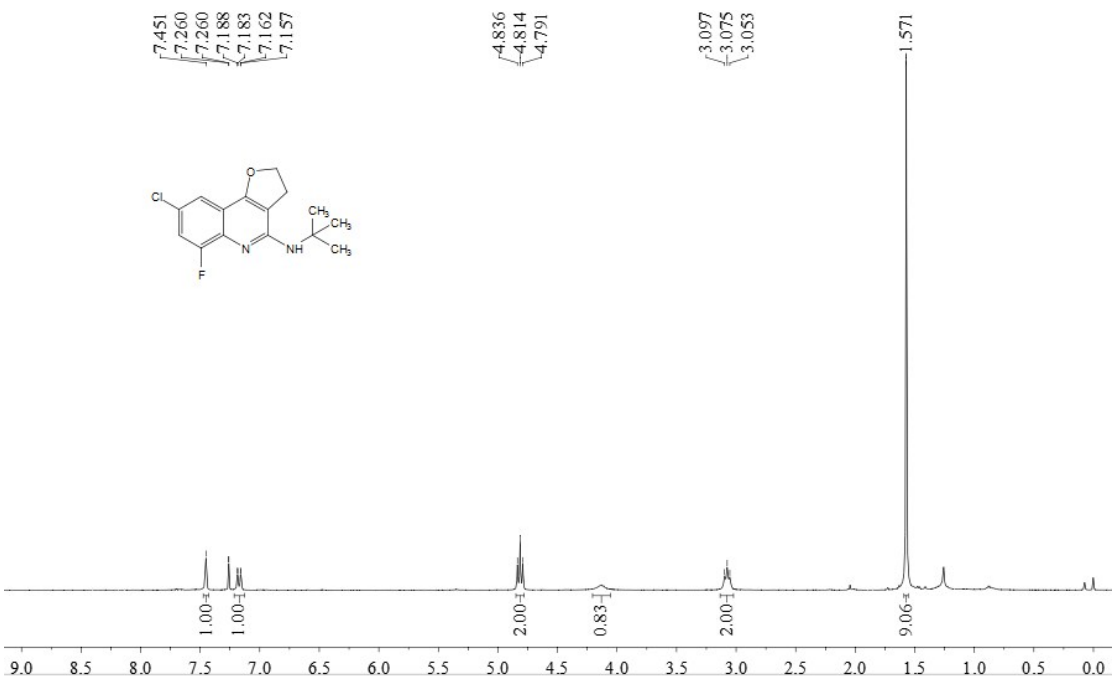

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3n**



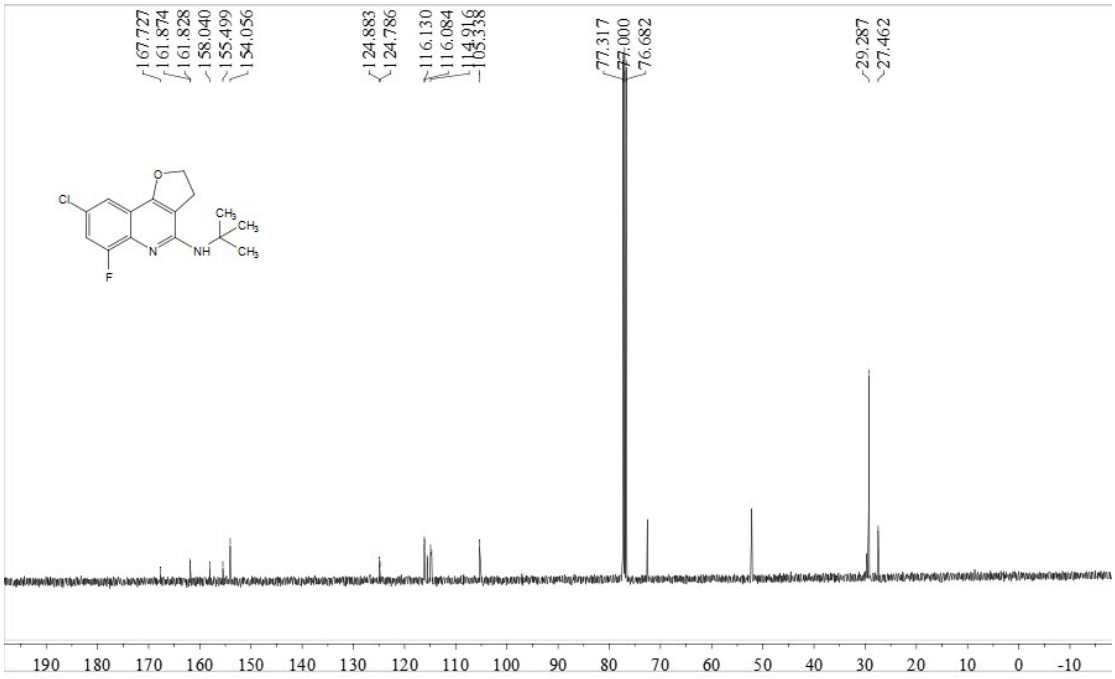

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3n**



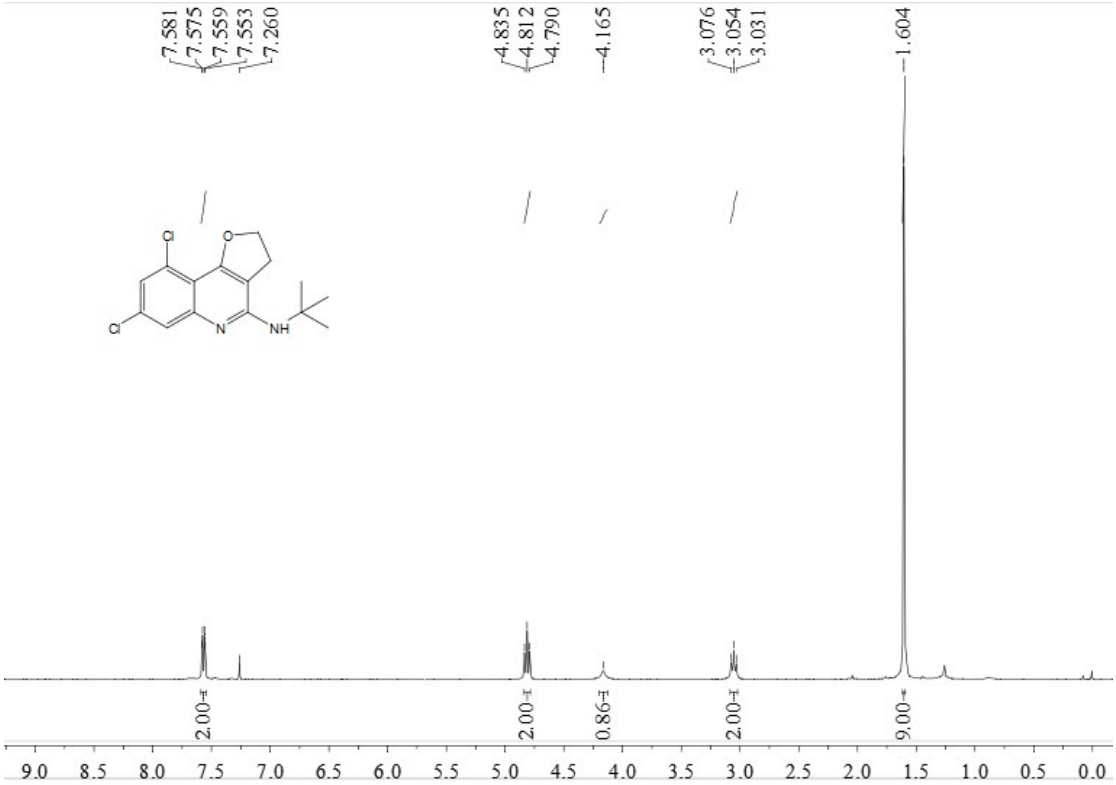

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3o**



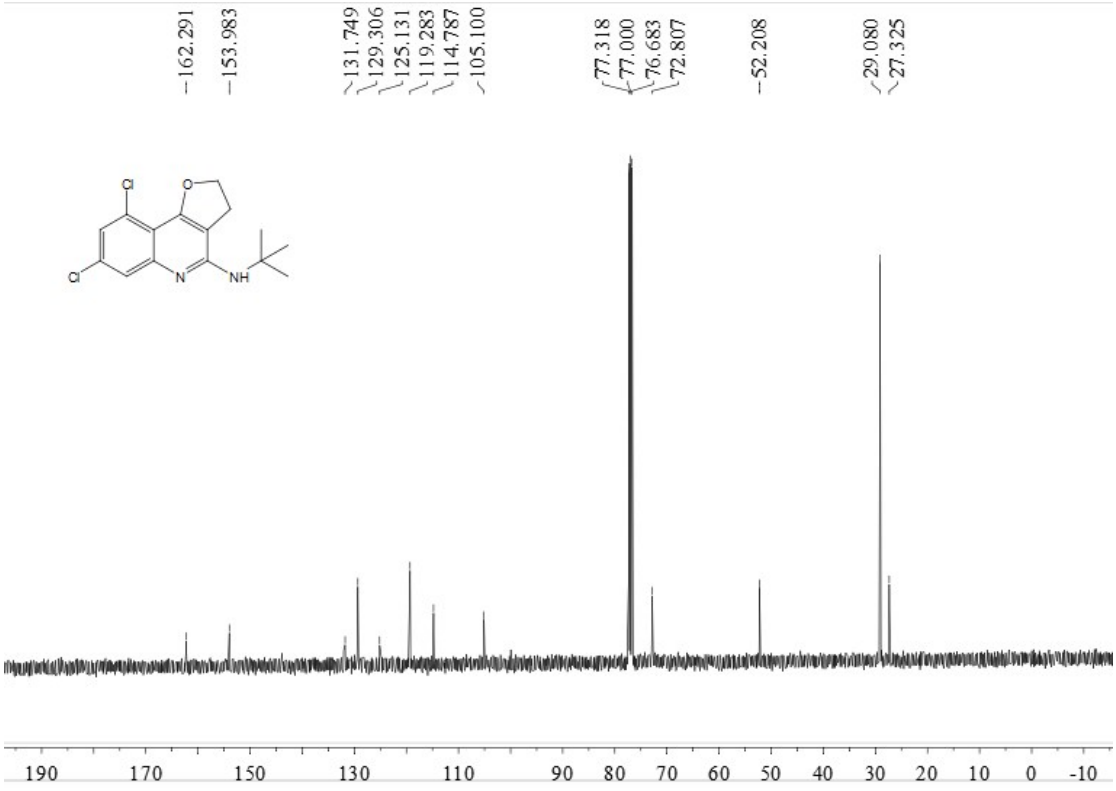

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3o**



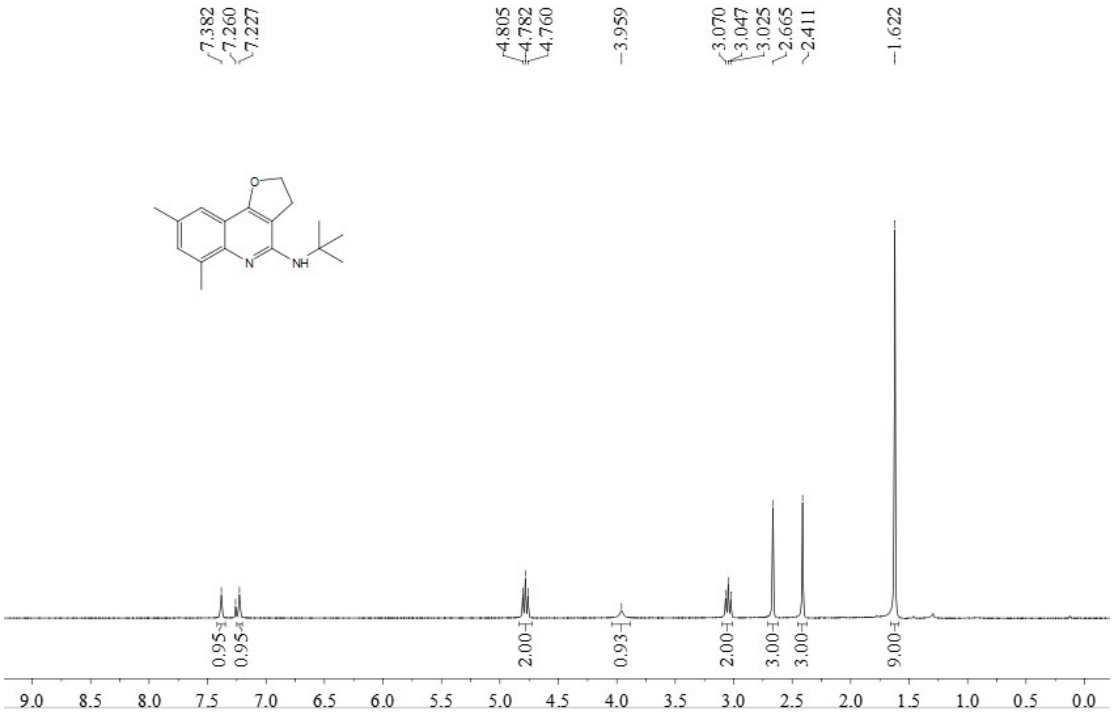

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3p**



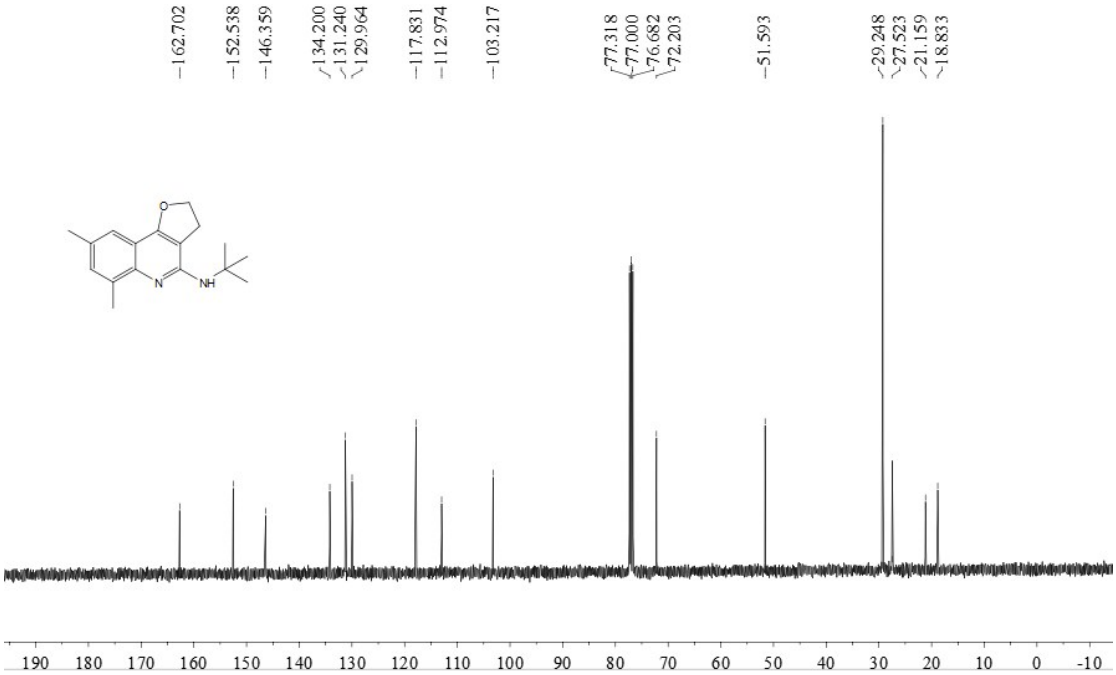

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3p**



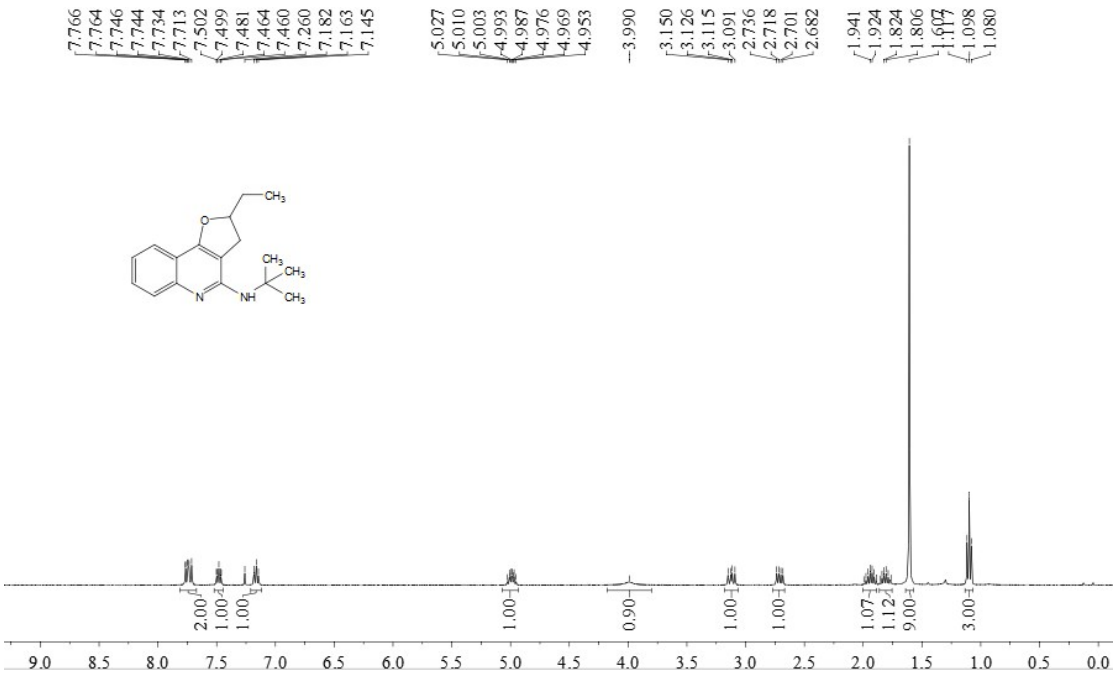
**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3q**



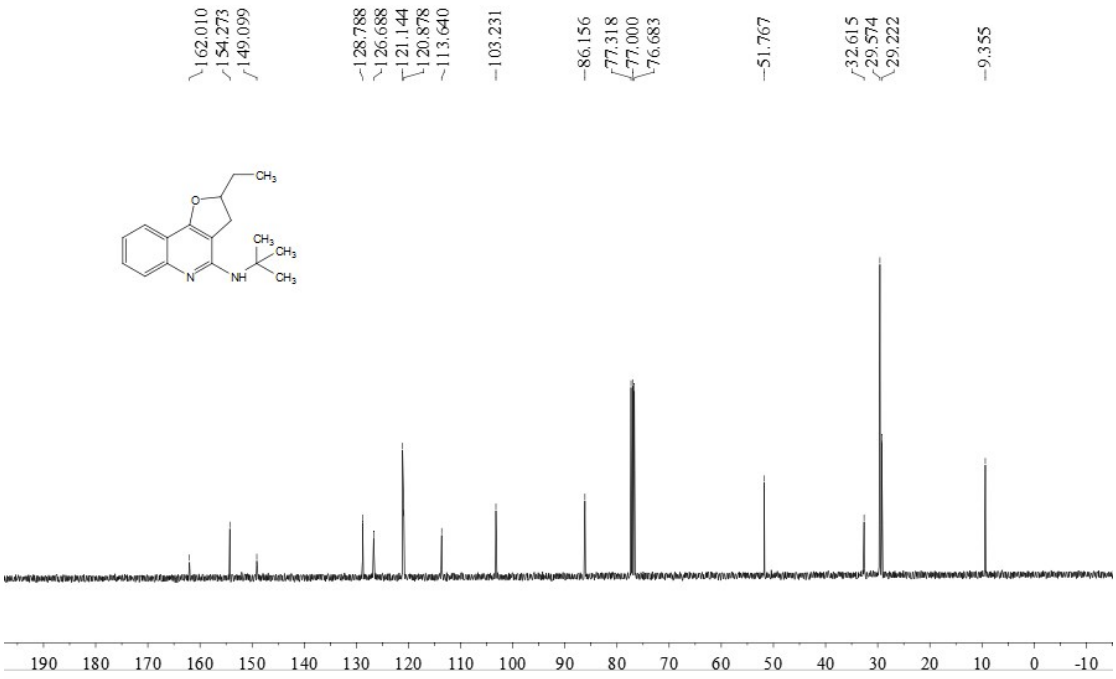

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3q**



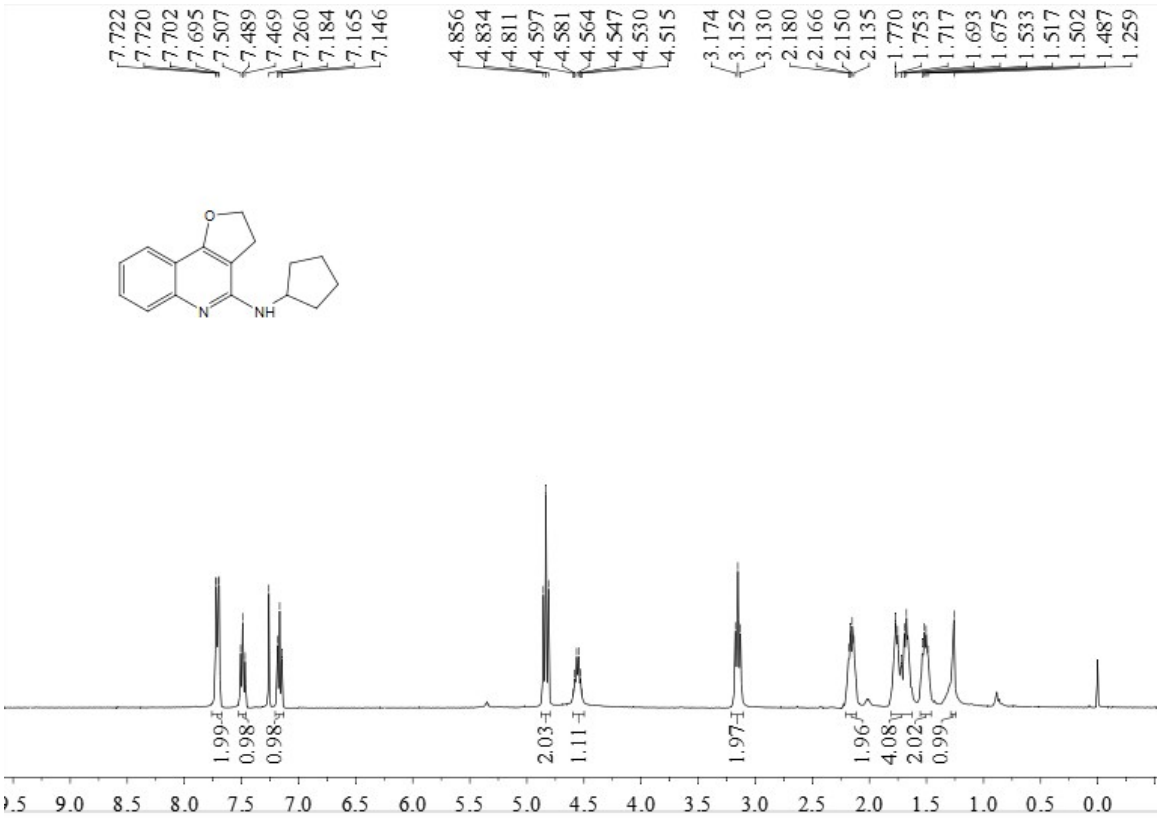

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3r**



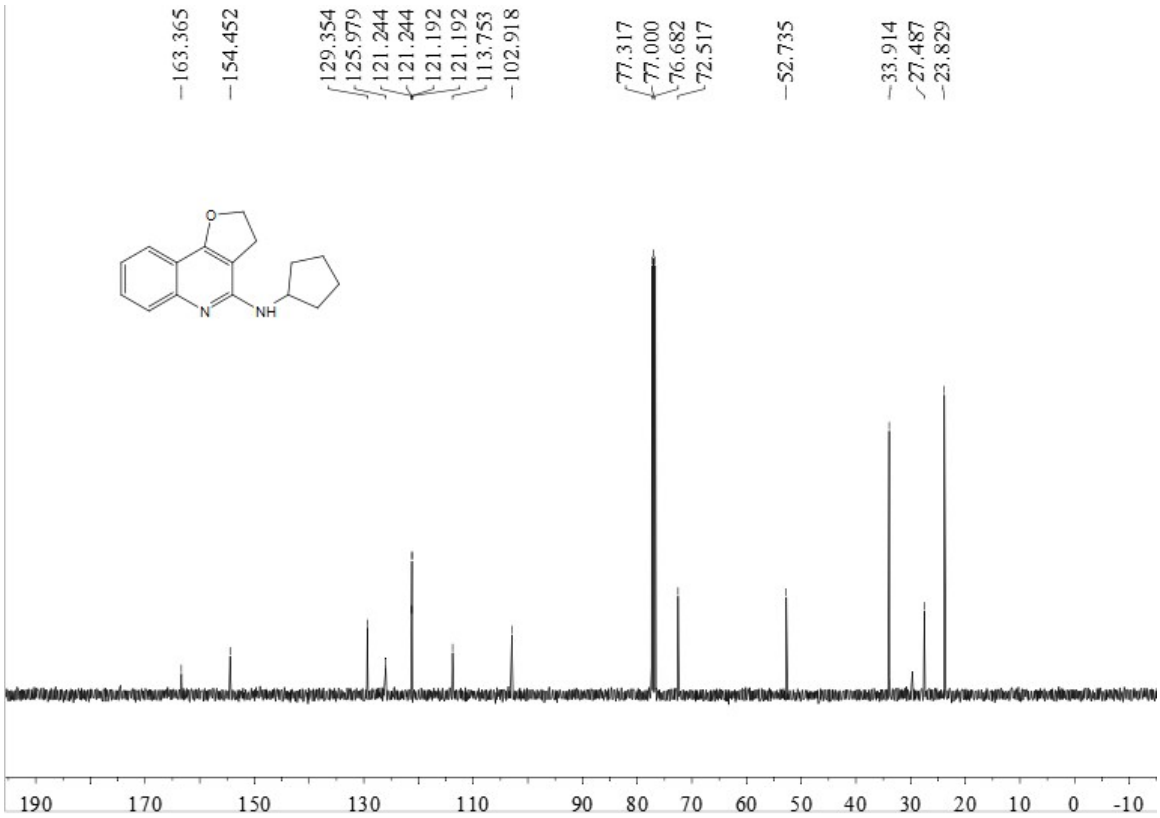

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3r**



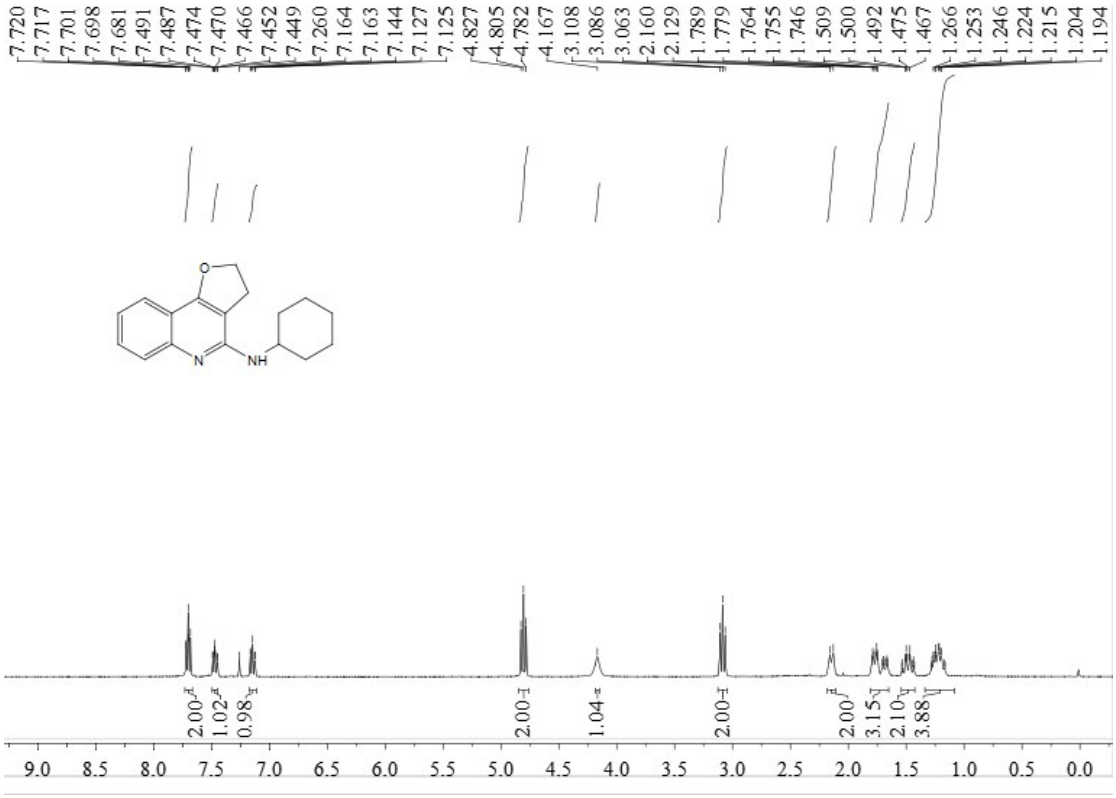

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3s**



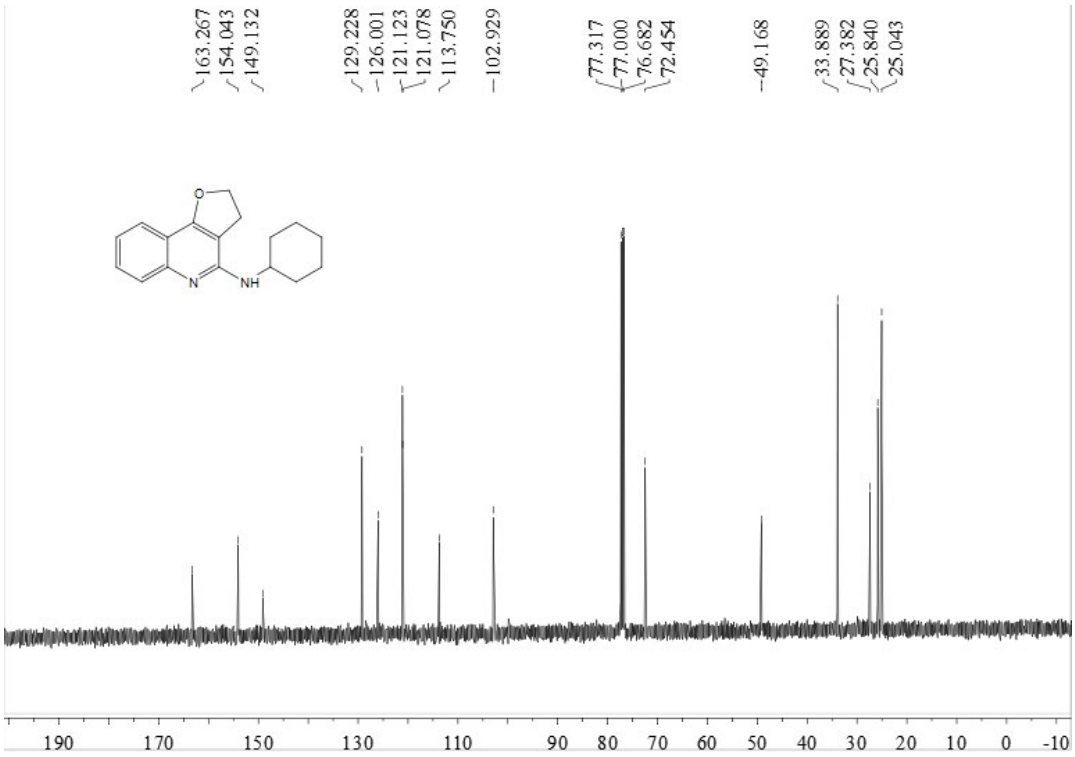

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3s**



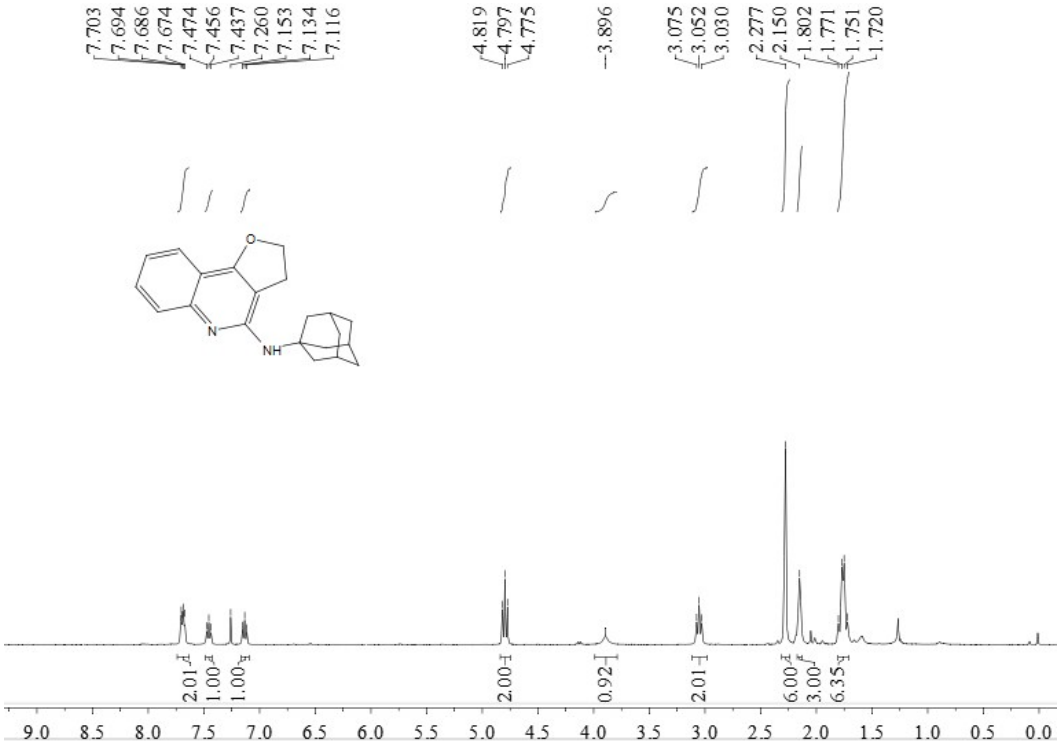

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3t**



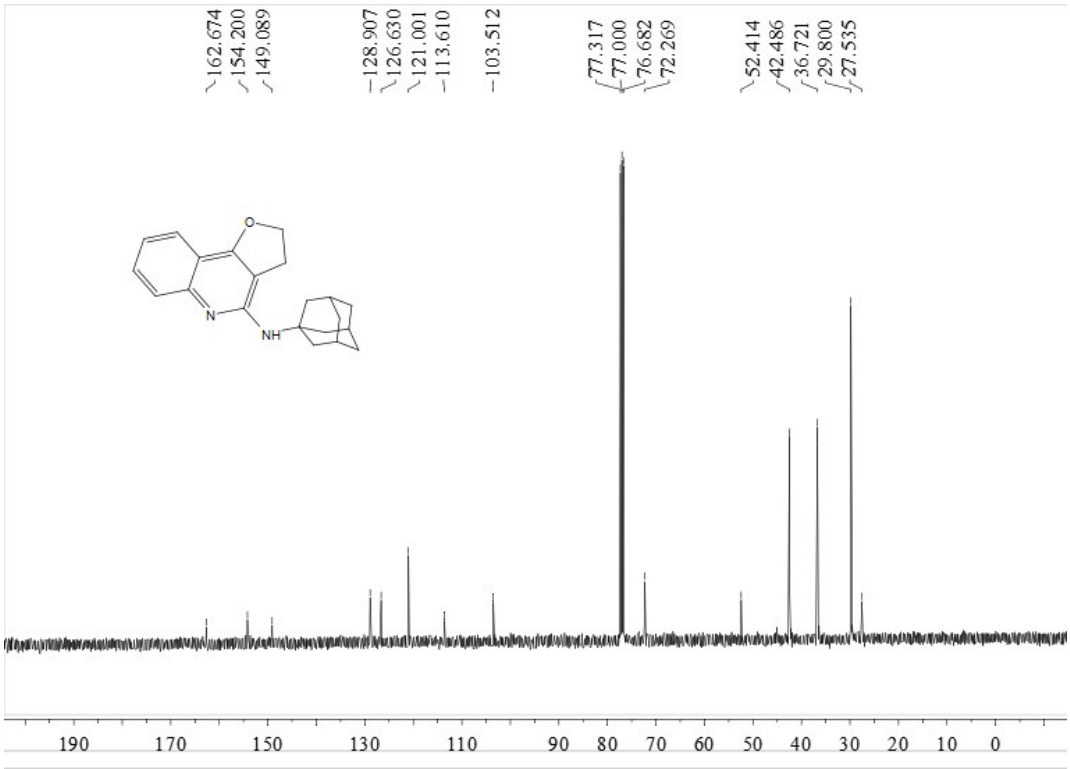

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3t**



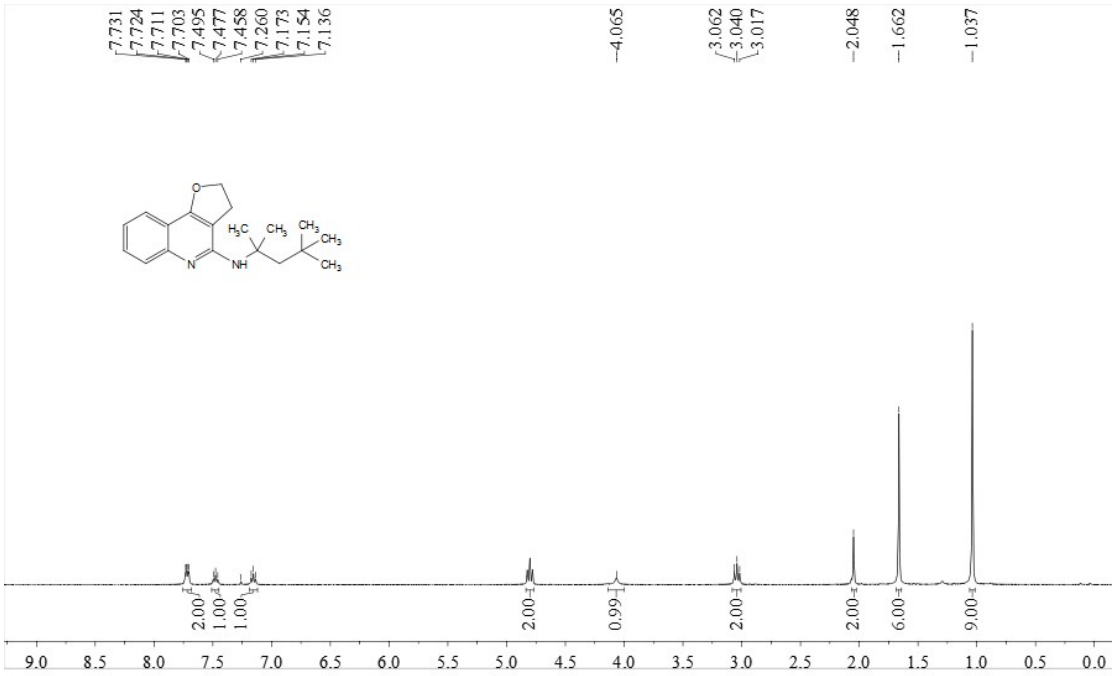

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3u**



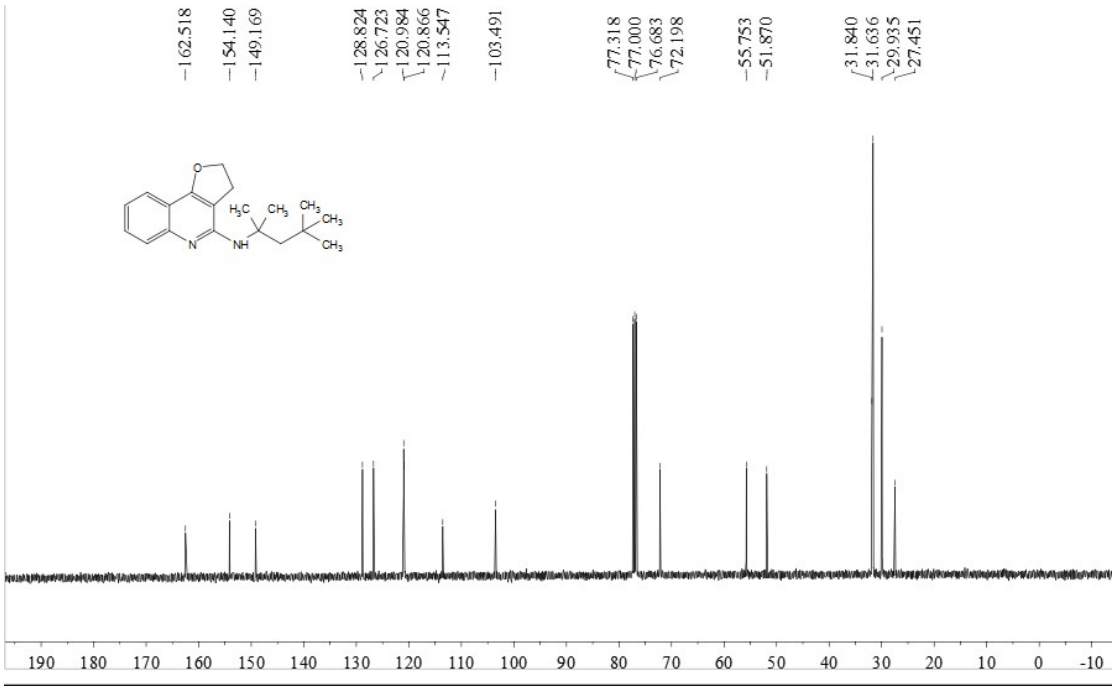

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3u**



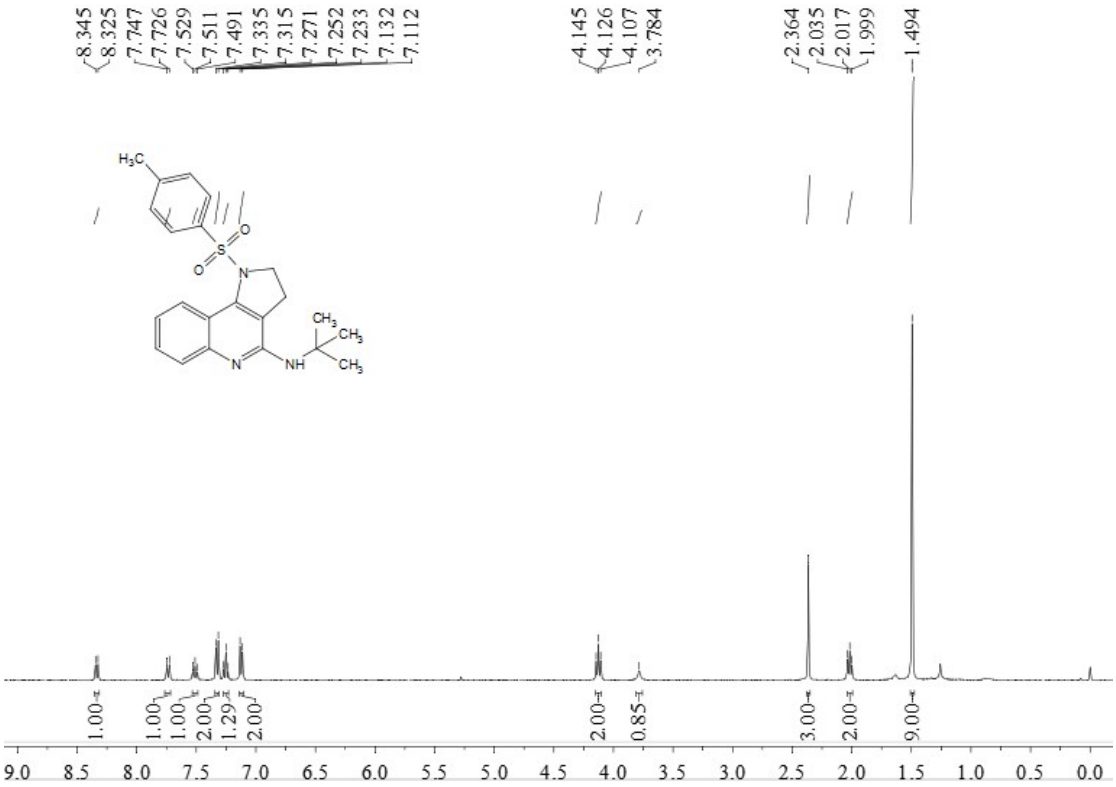

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 3v**



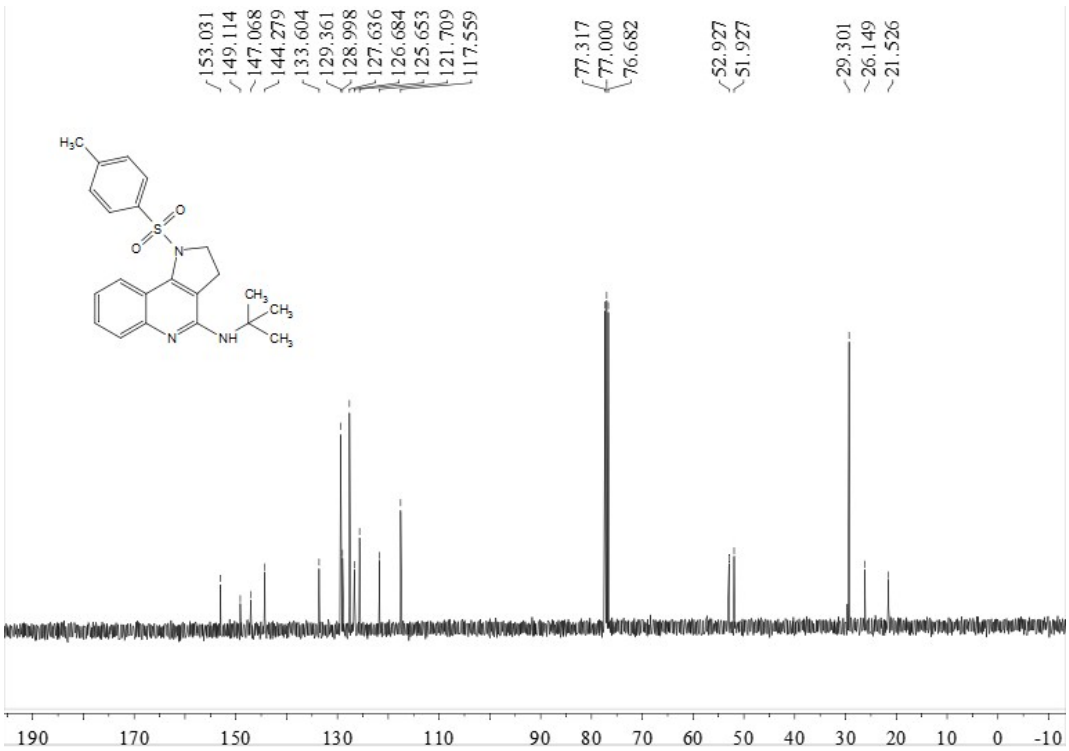

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 3v**



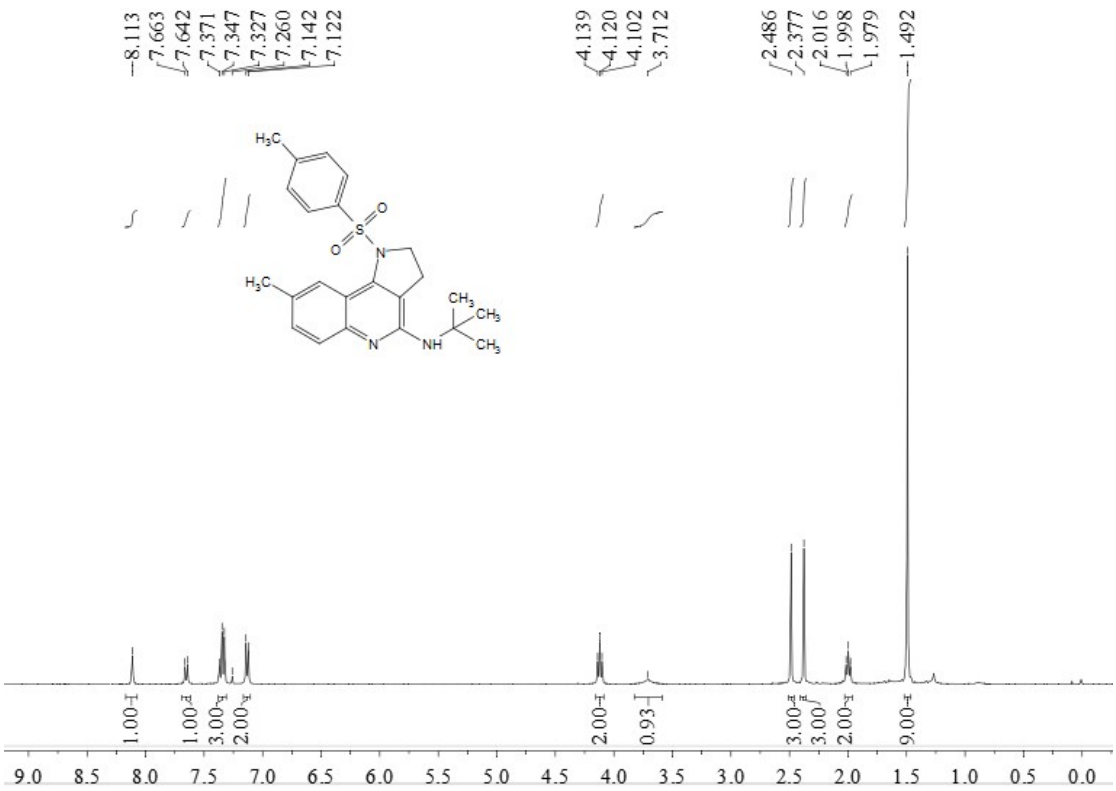

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5a**



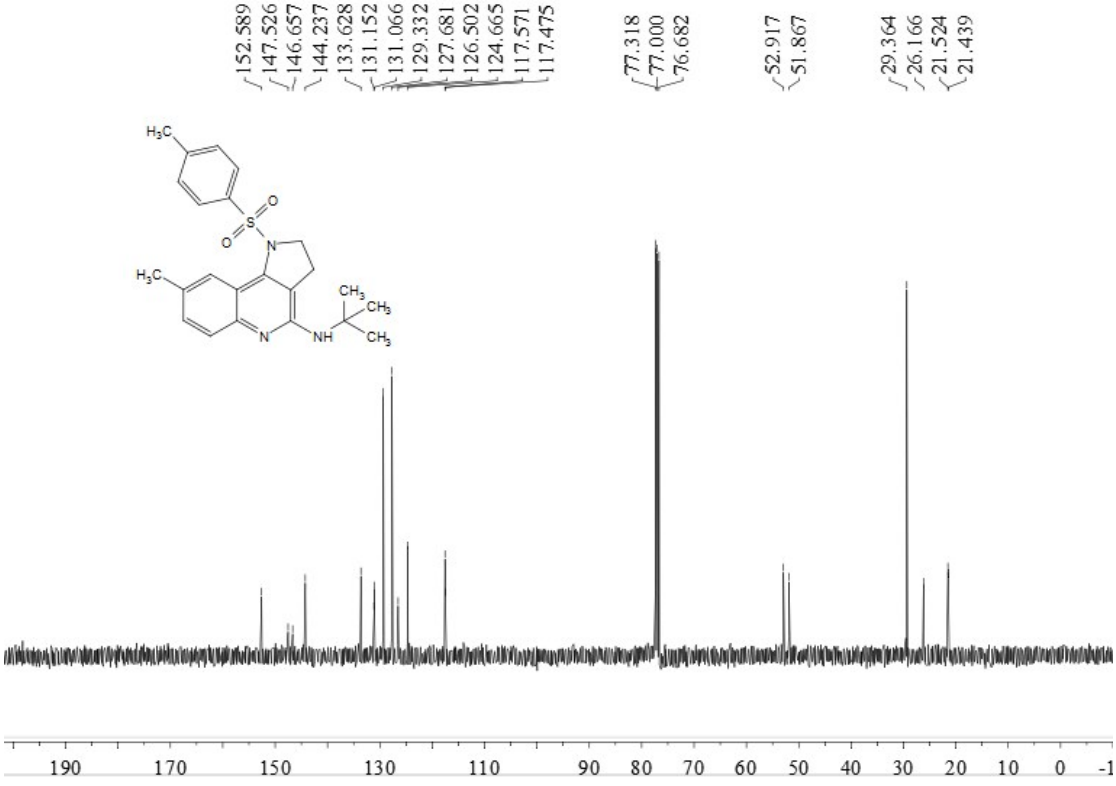

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5a**



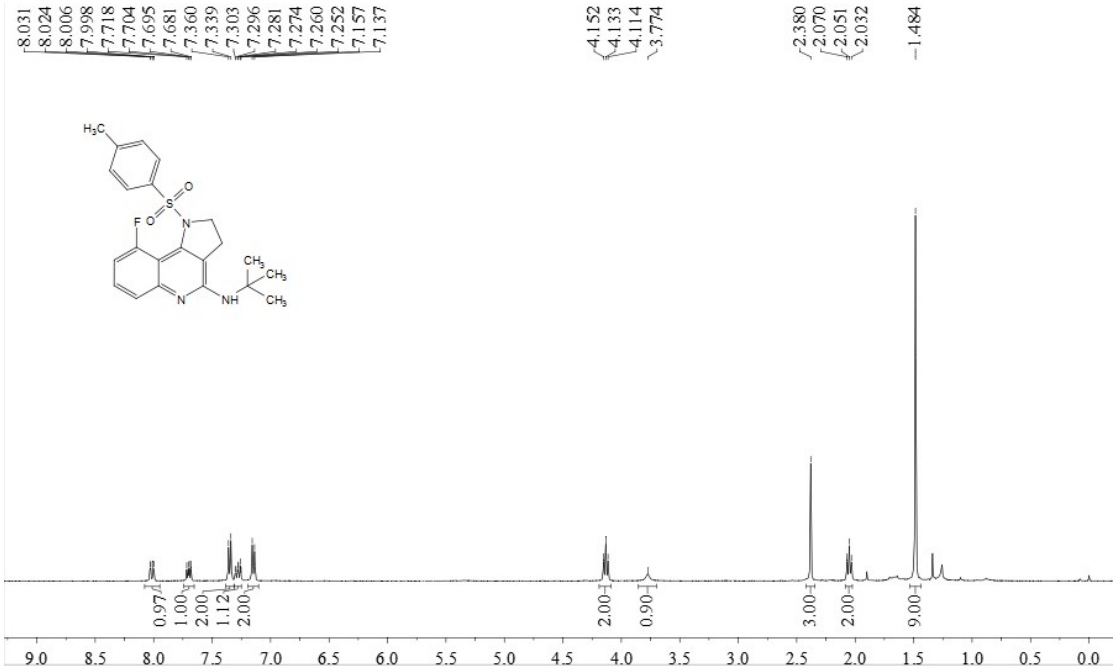

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5b**



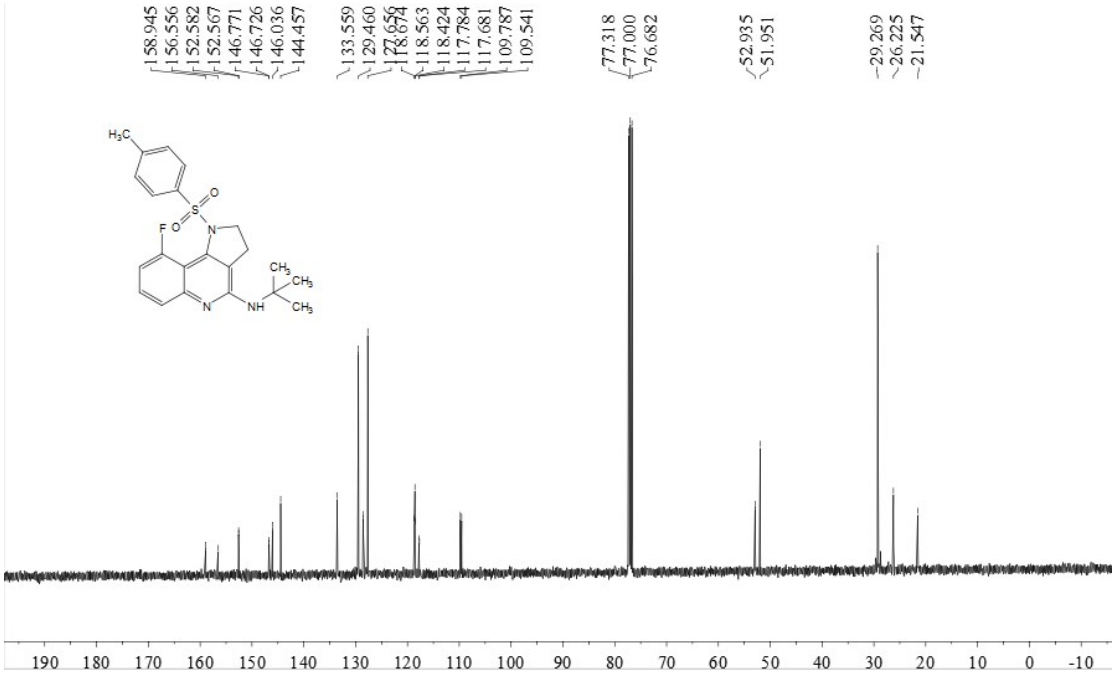

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5b**



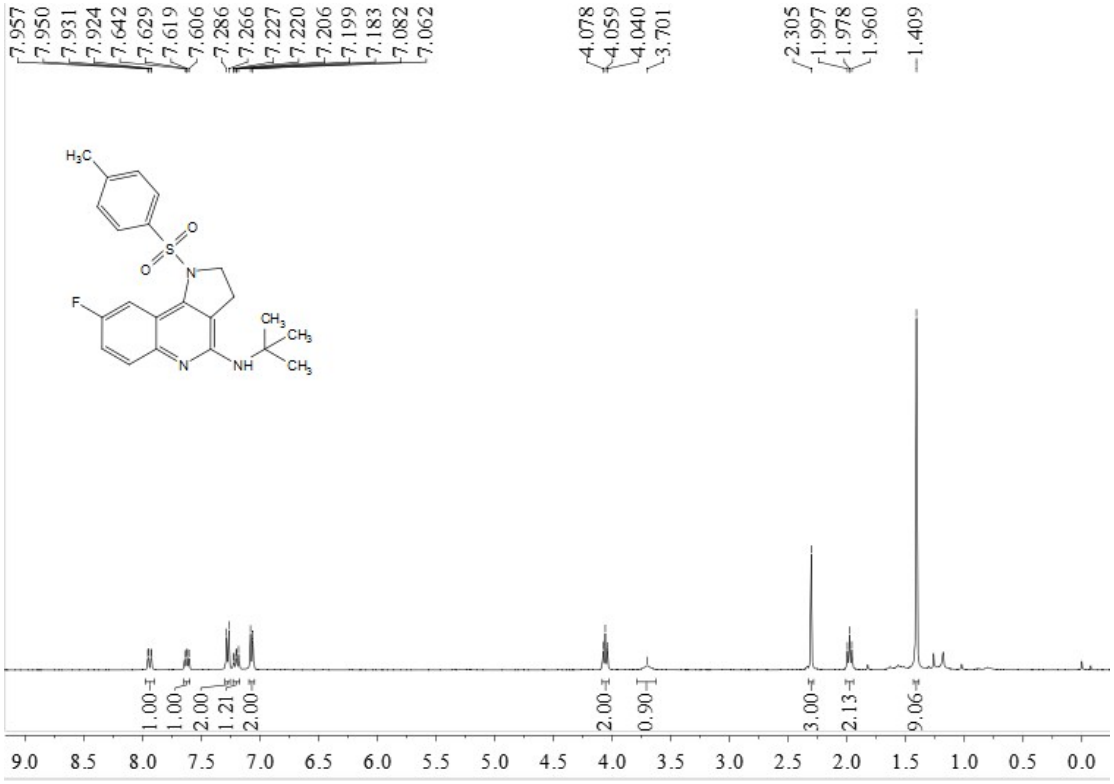
**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5c**



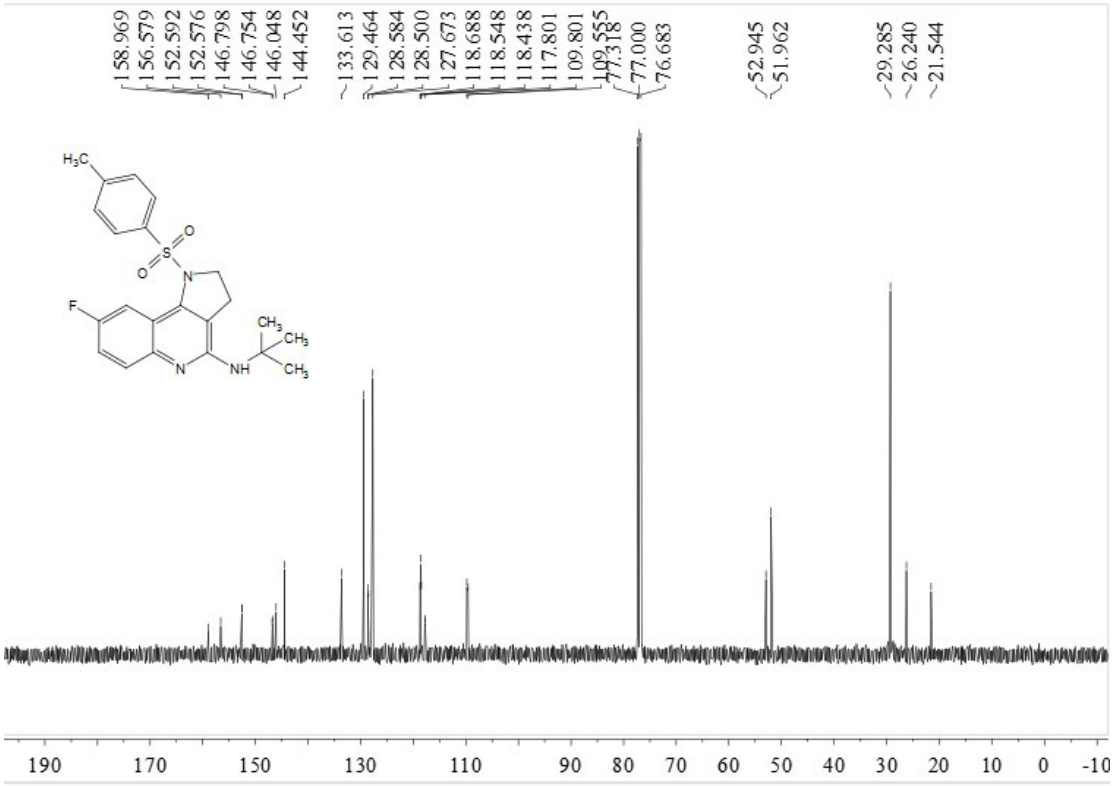

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5c**



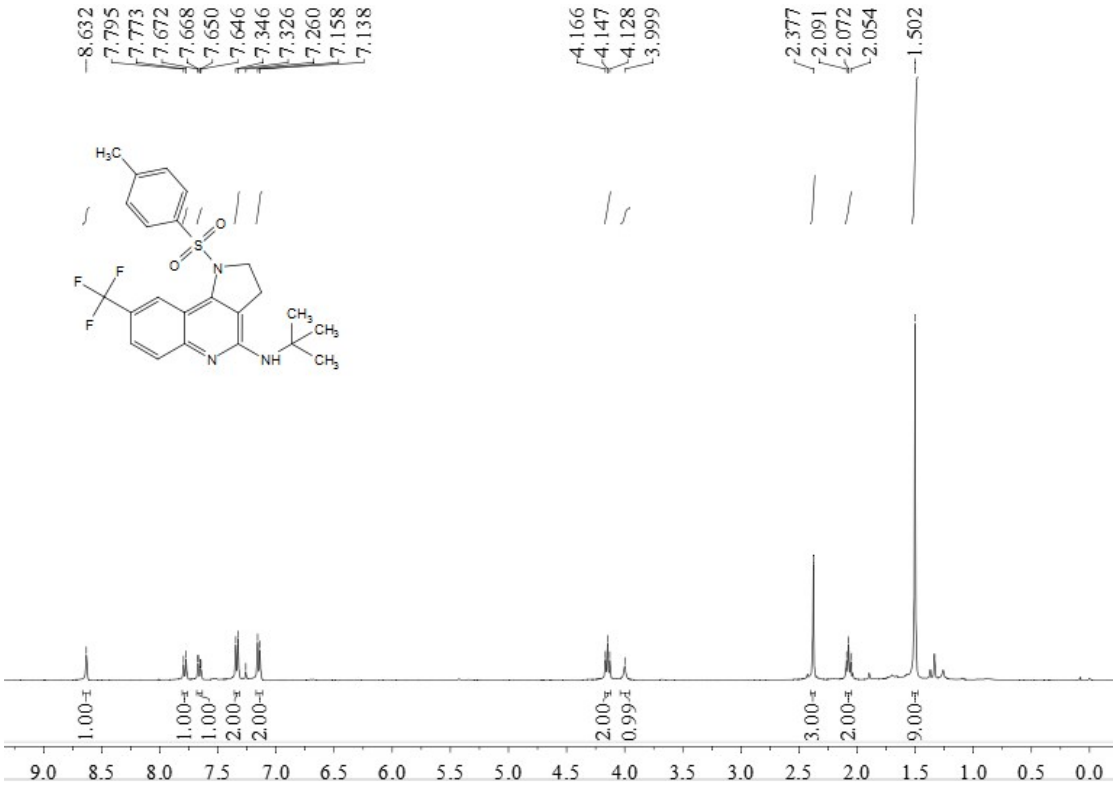

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5d**



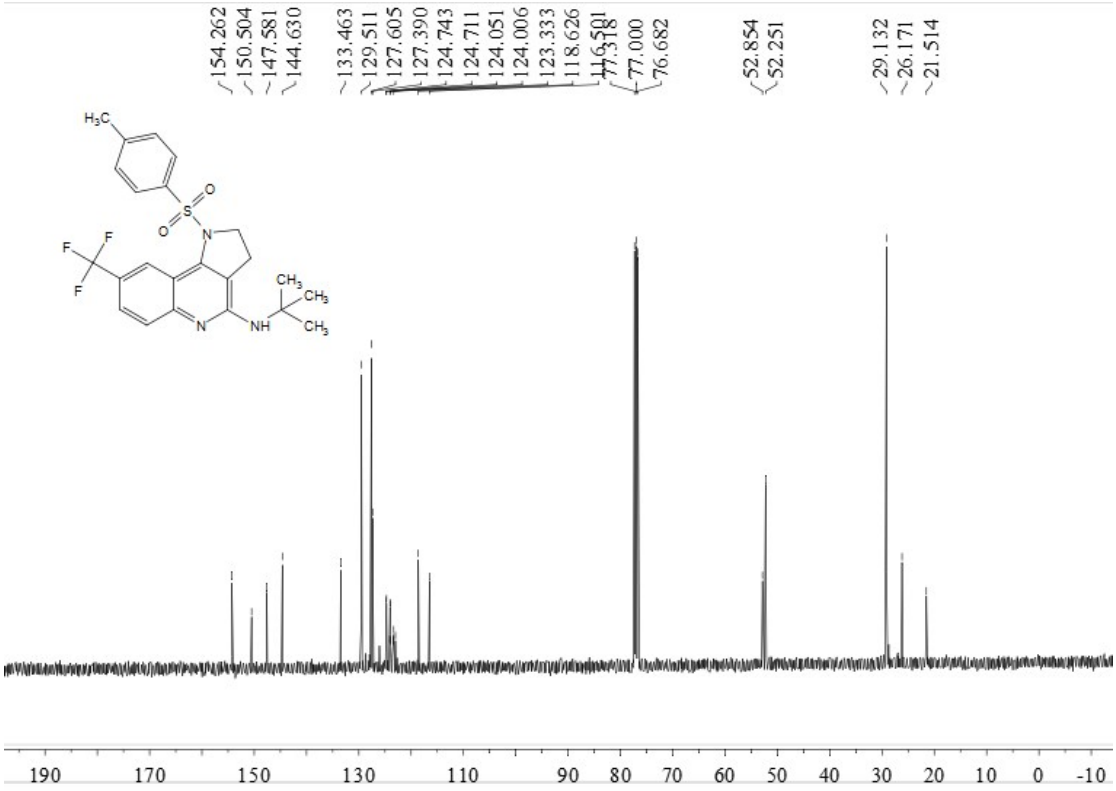

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5d**



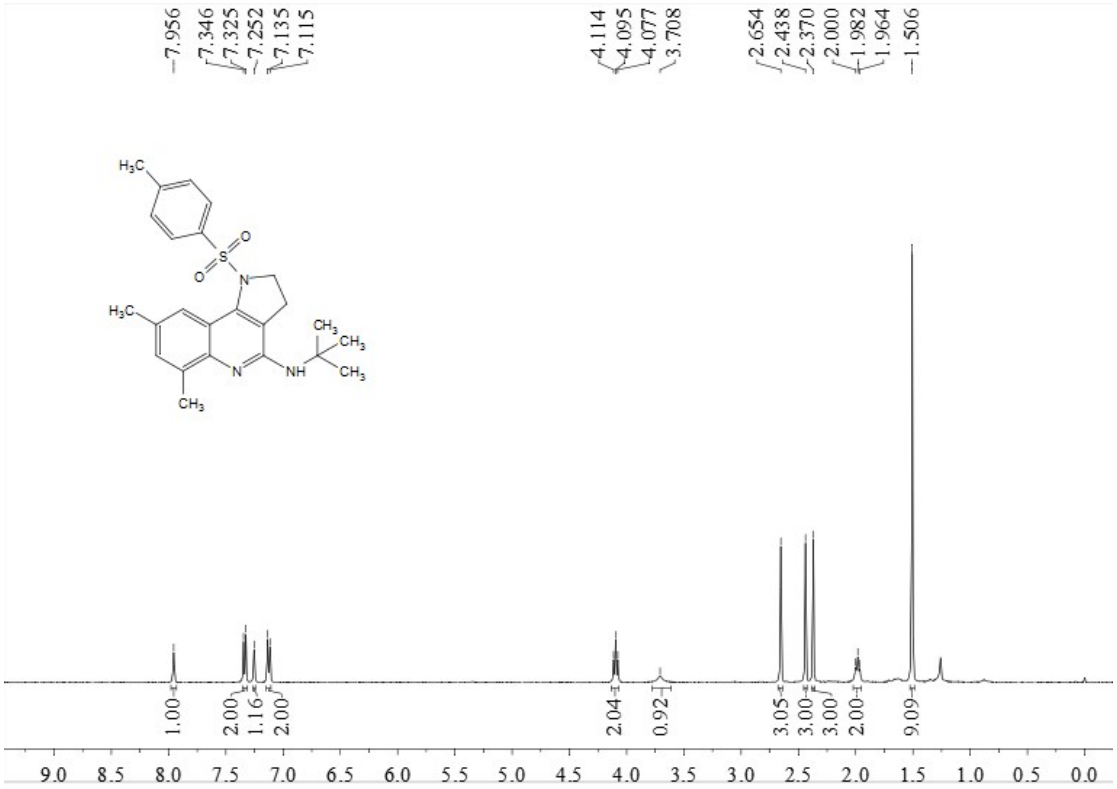

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5e**



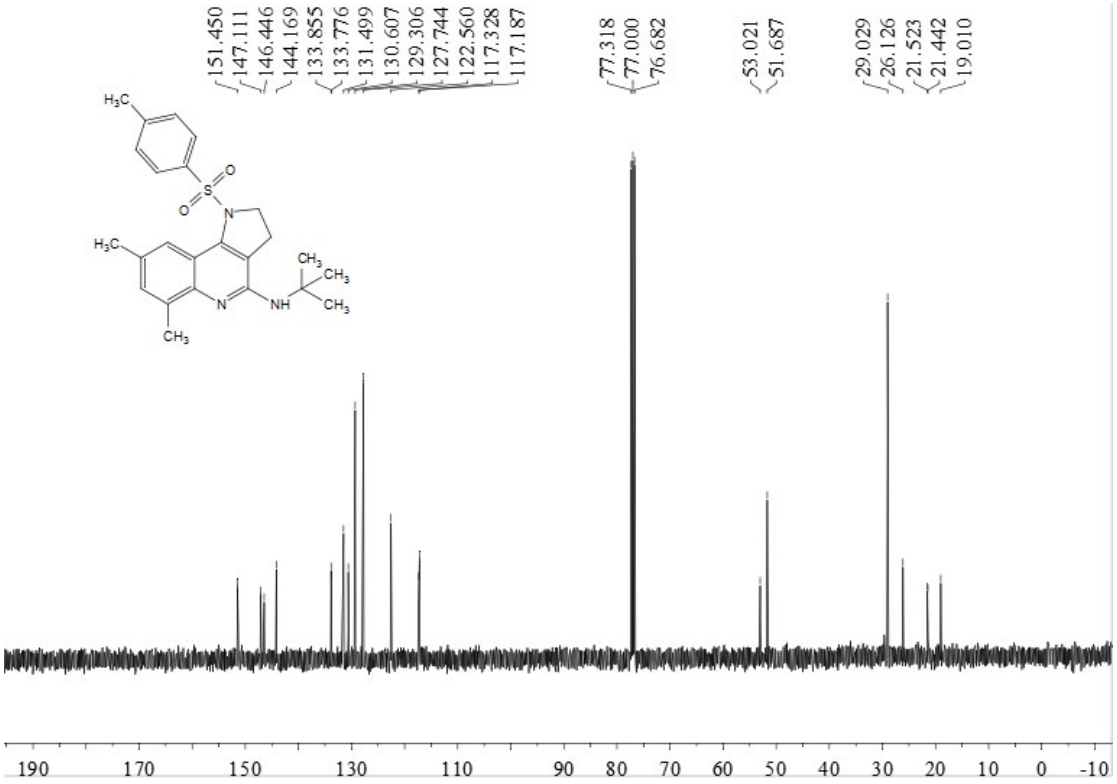

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5e**



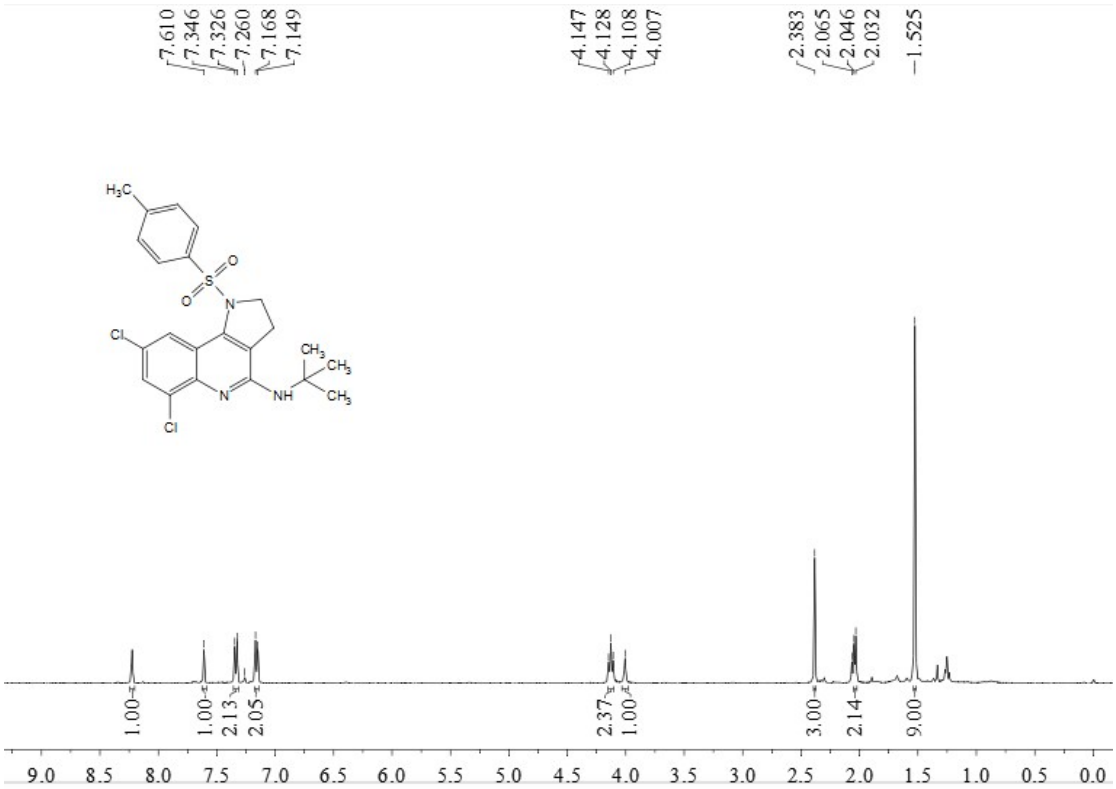

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5f**



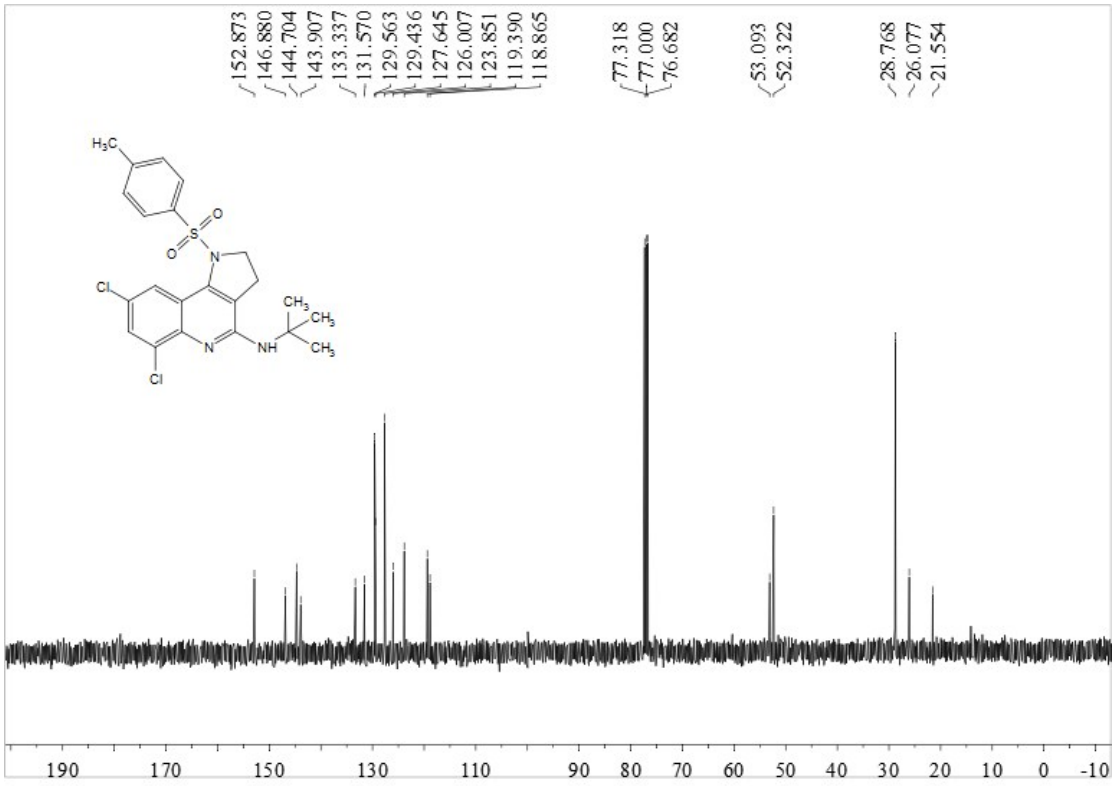

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5f**



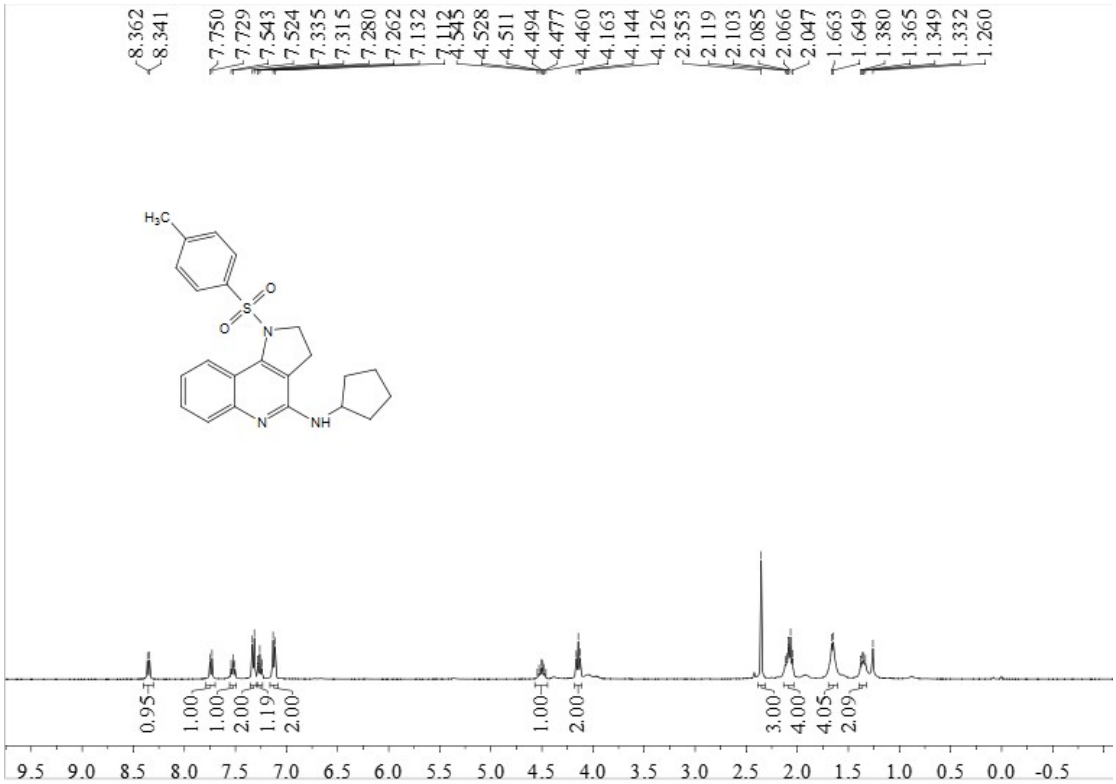

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5g**



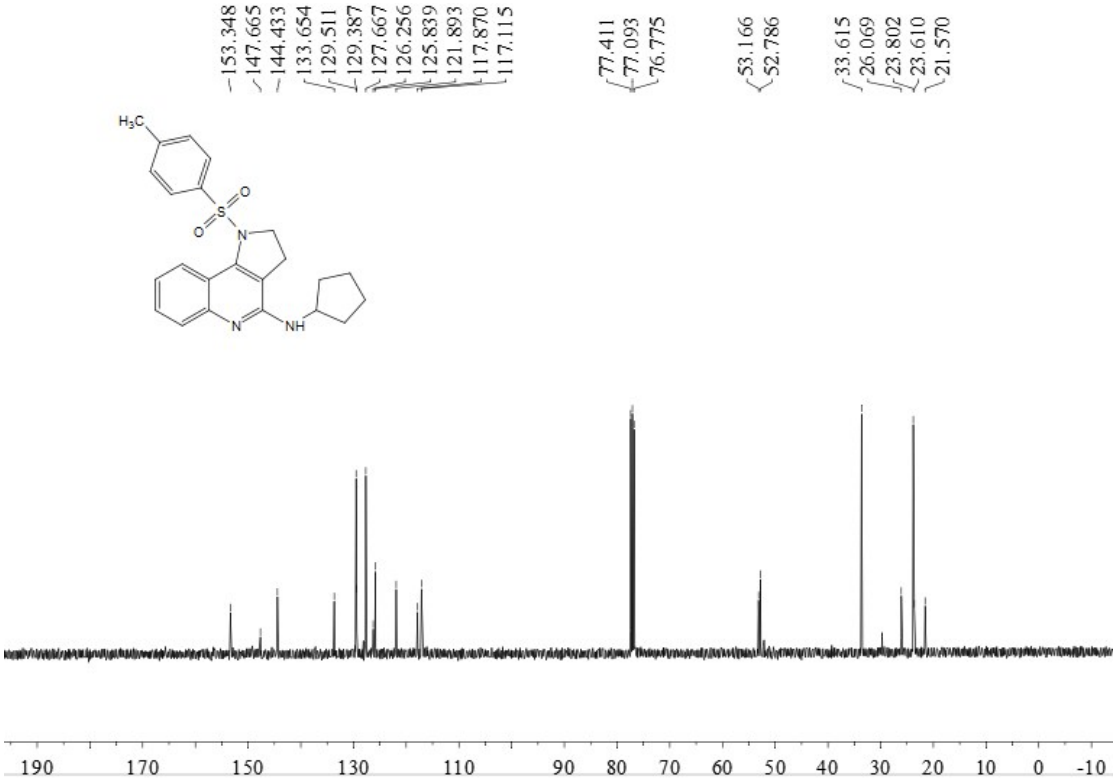

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5g**



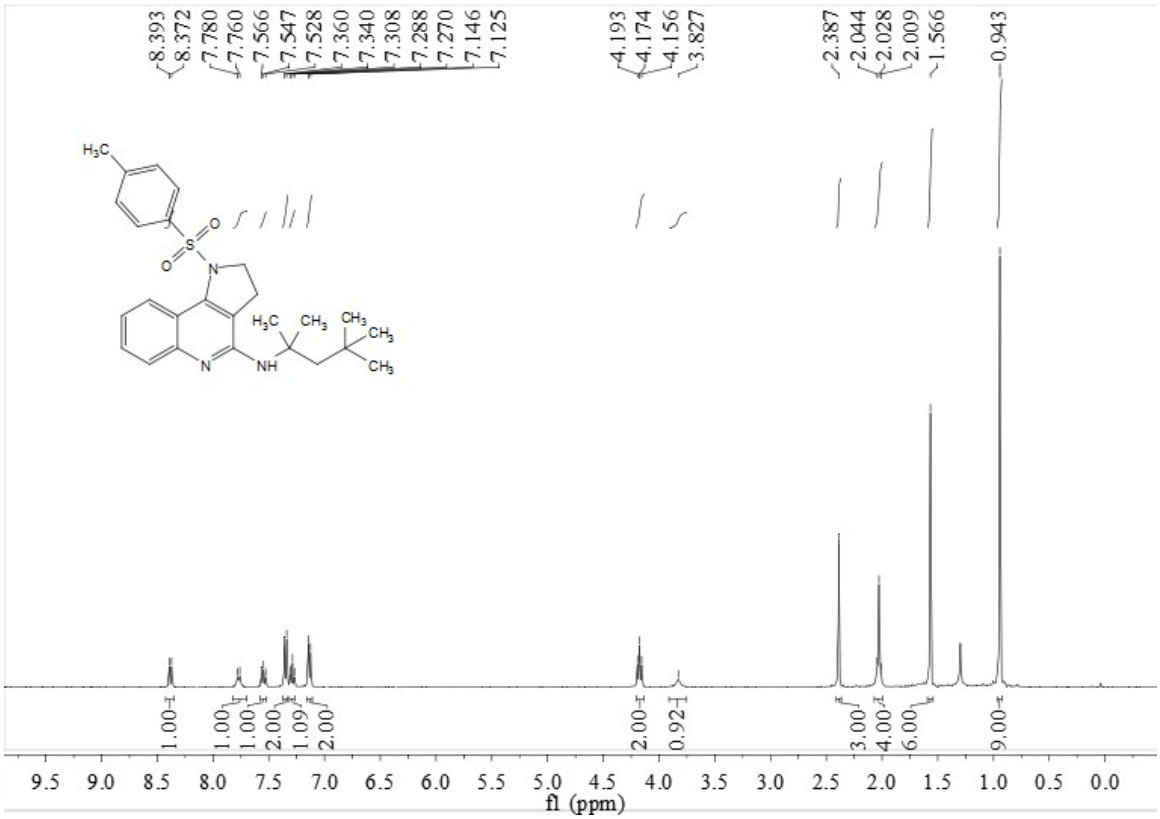

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5h**



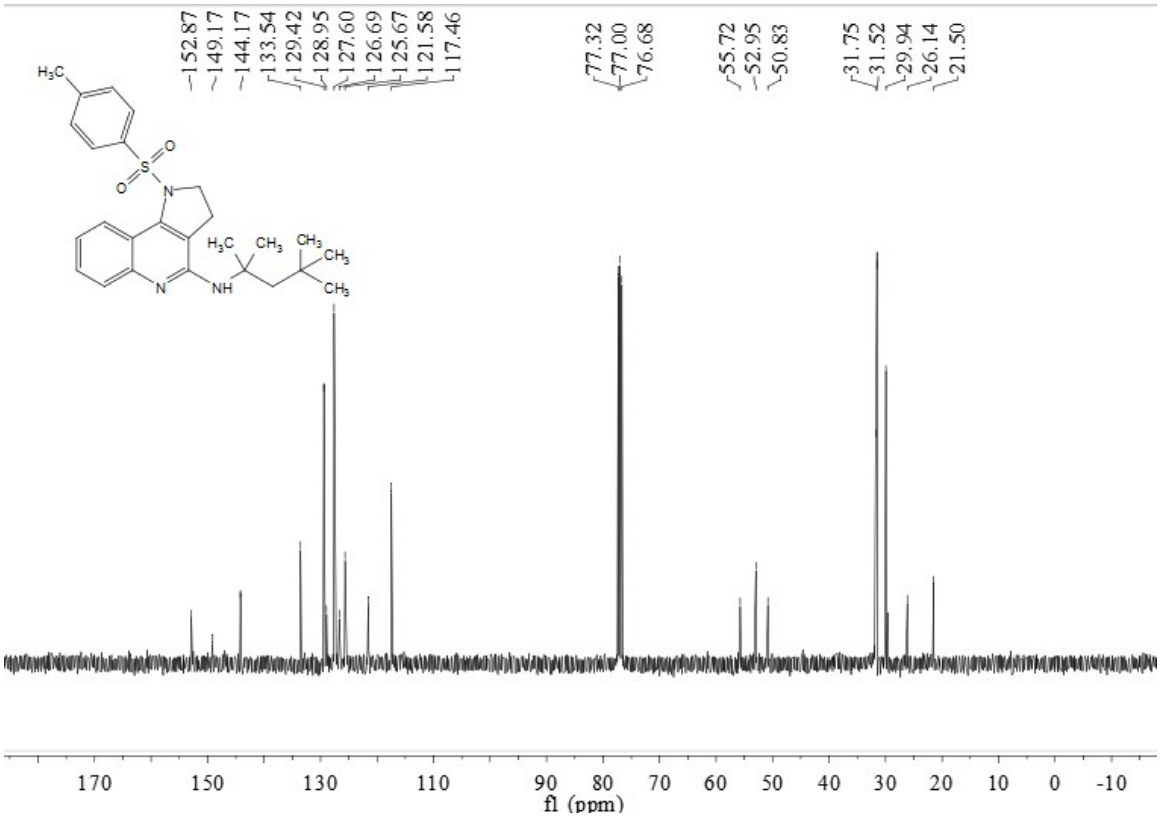

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5h**



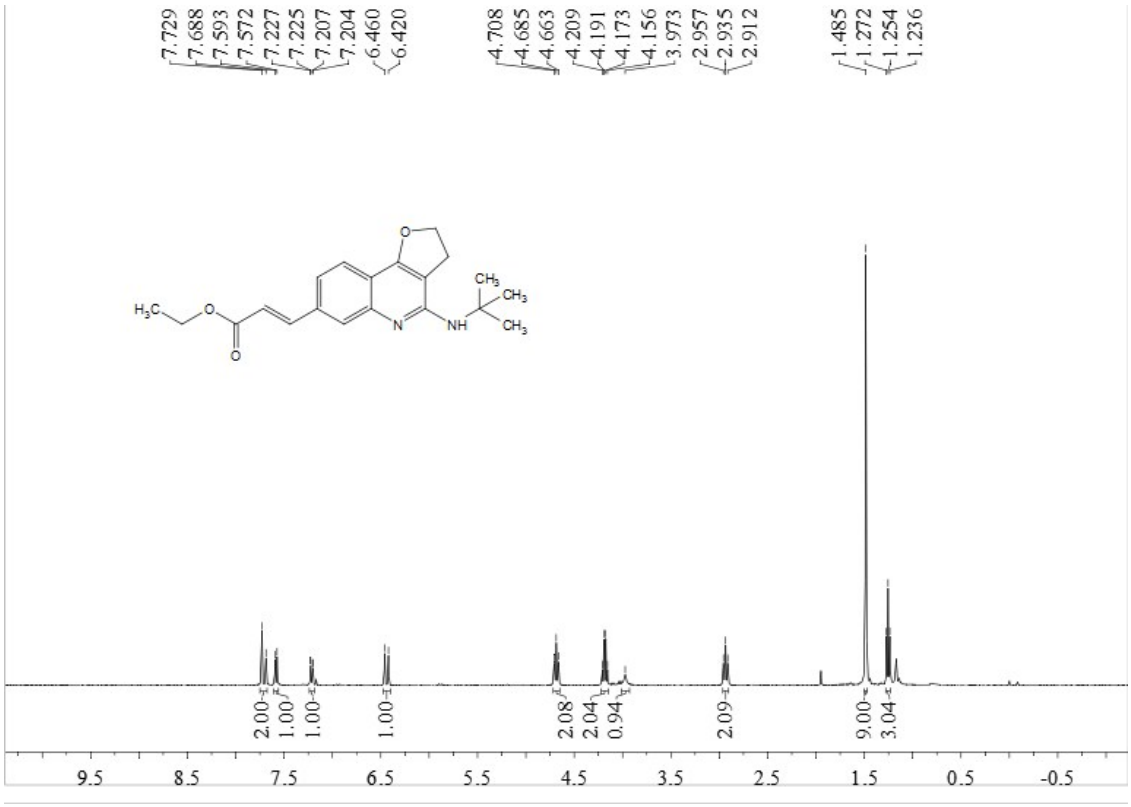

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 5i**



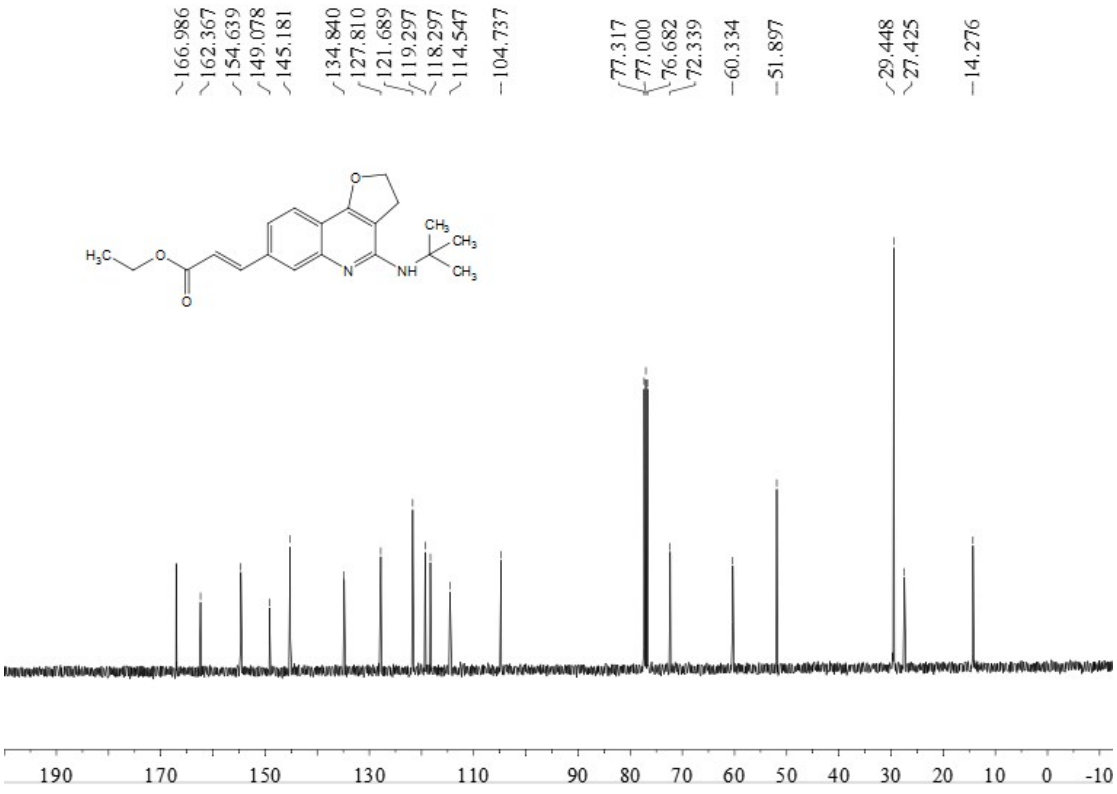

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 5i**



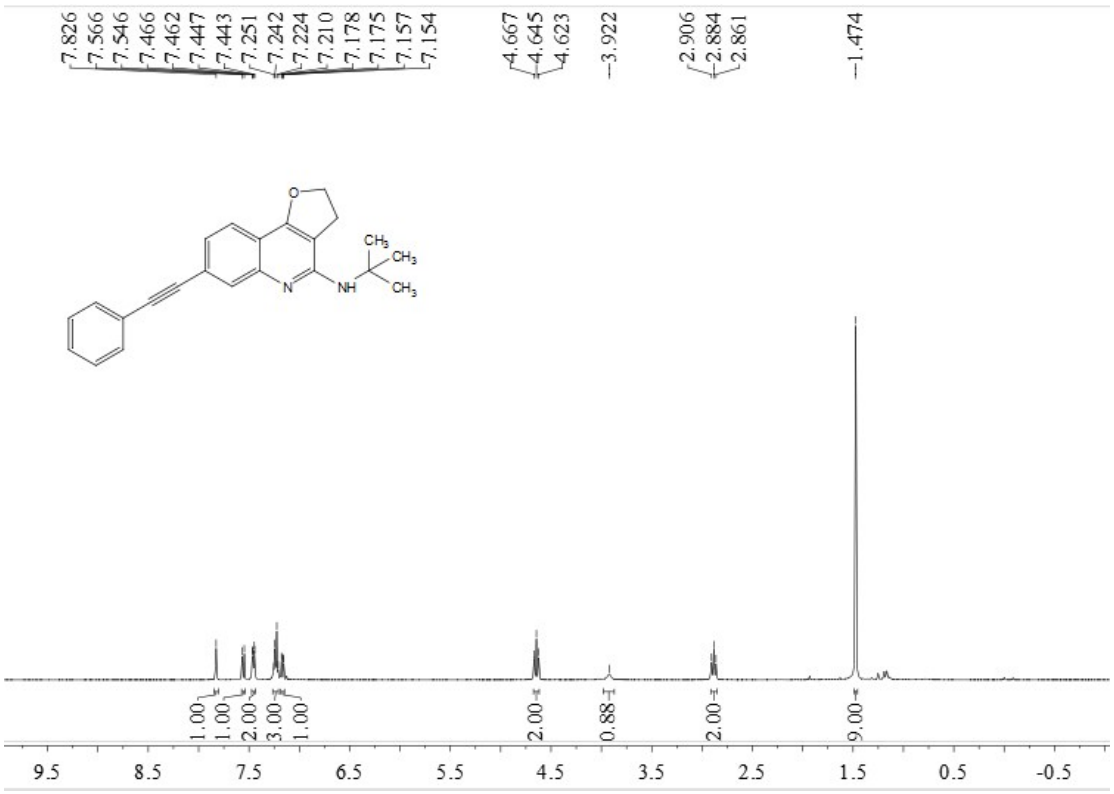

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 6a**



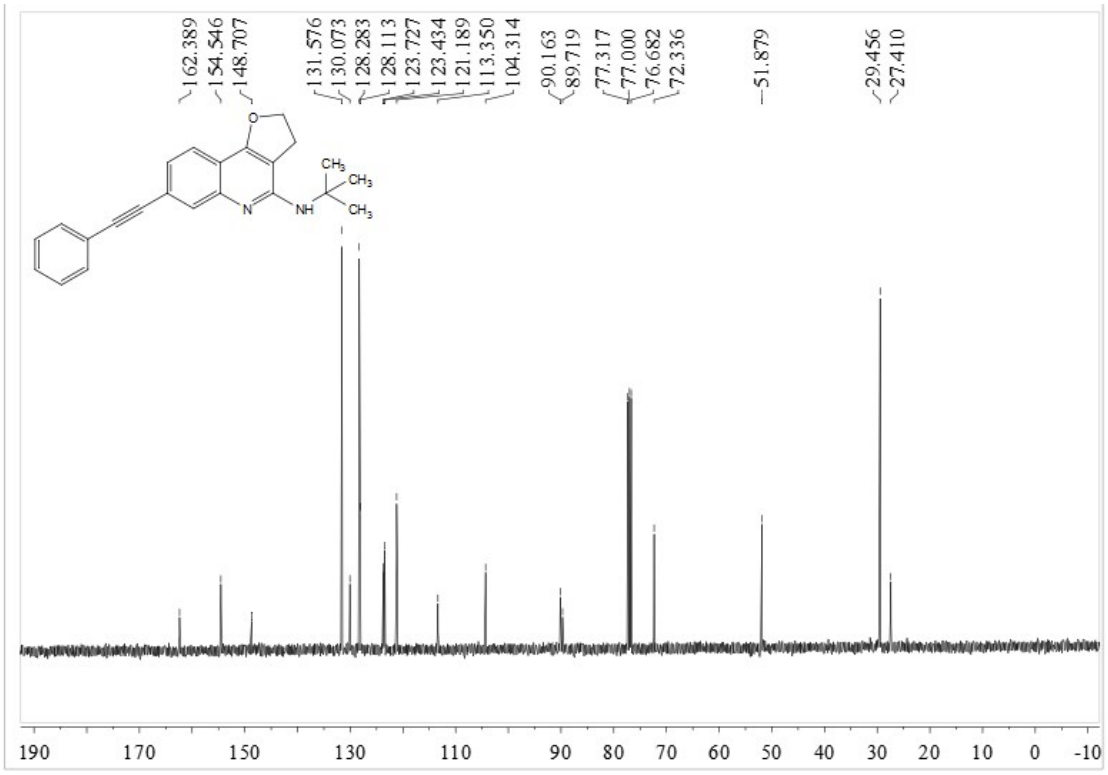

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 6a**



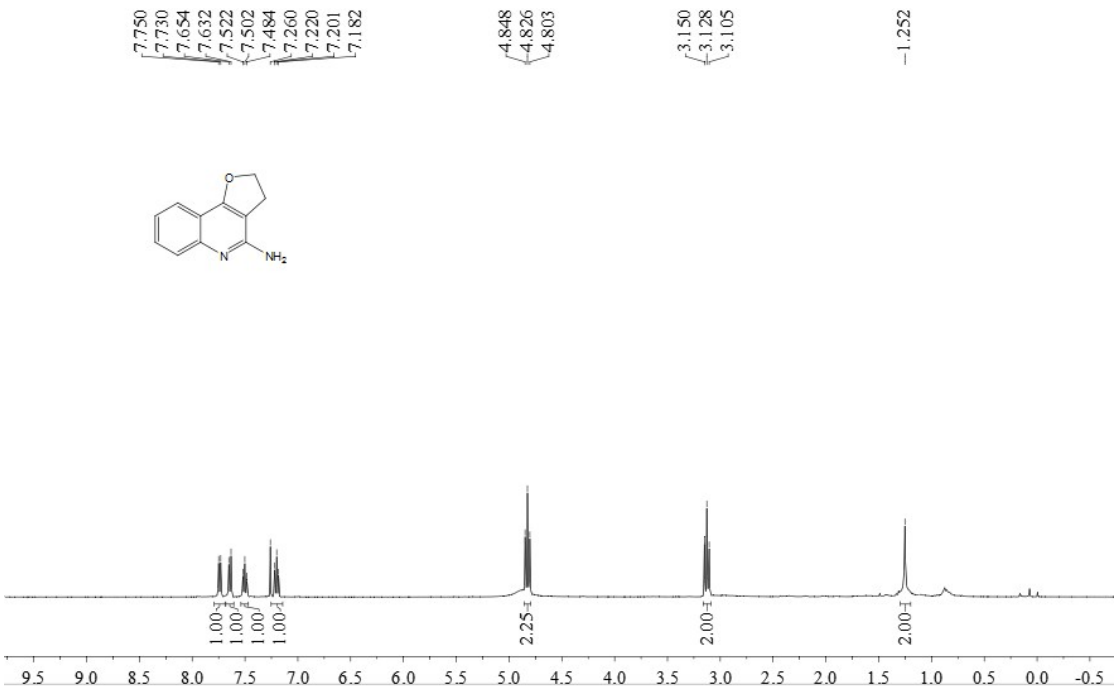

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 7a**



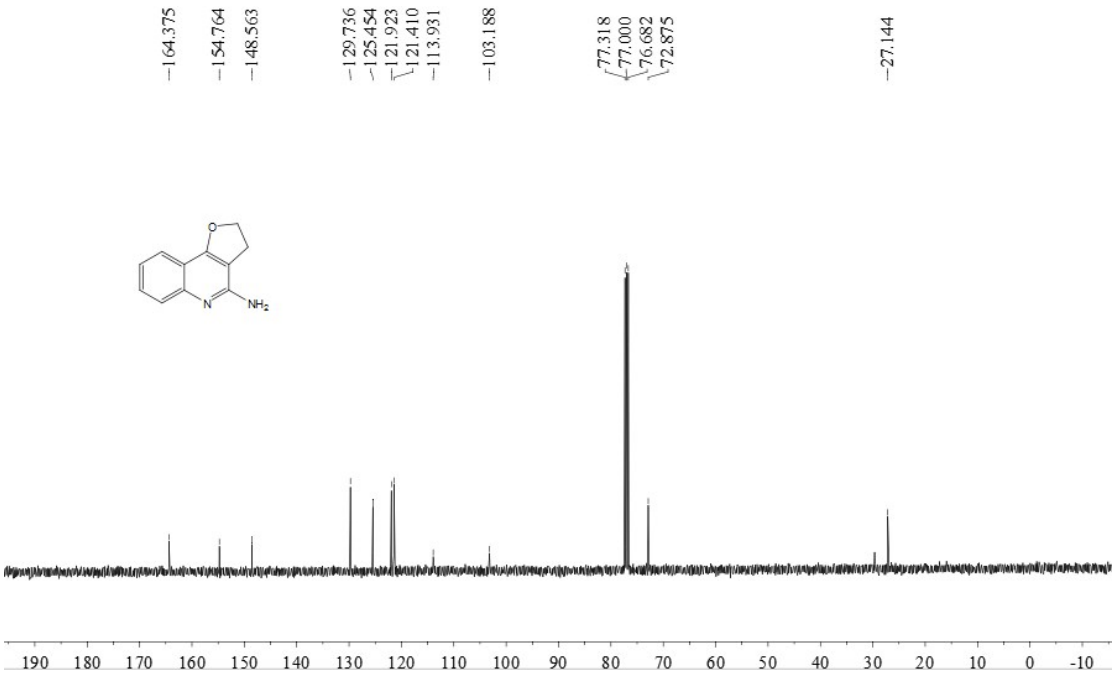

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 7a**



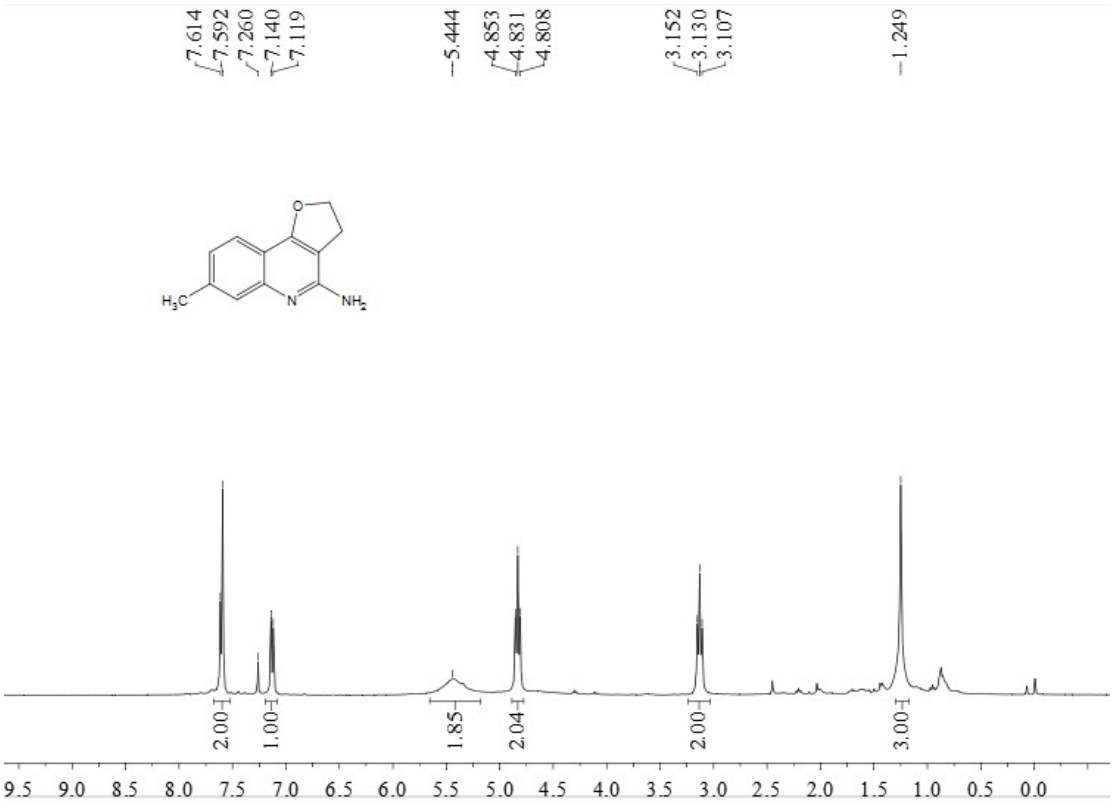

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 8a**



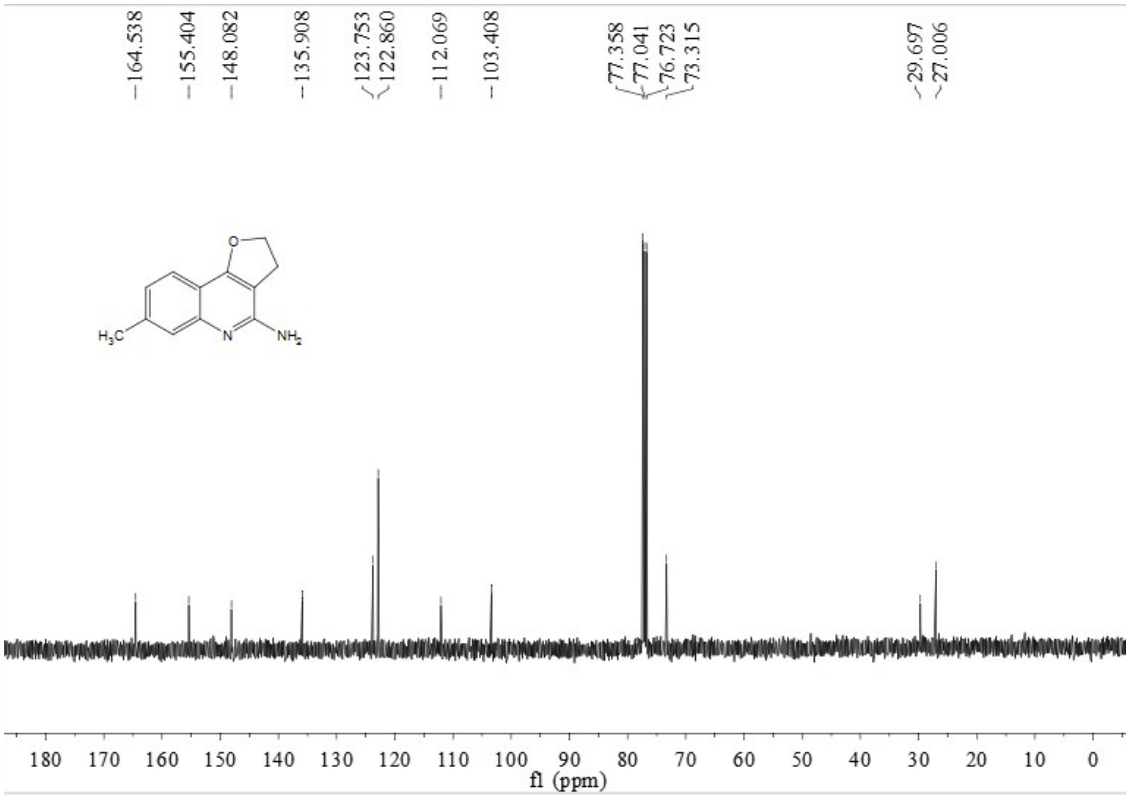

**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 8a**



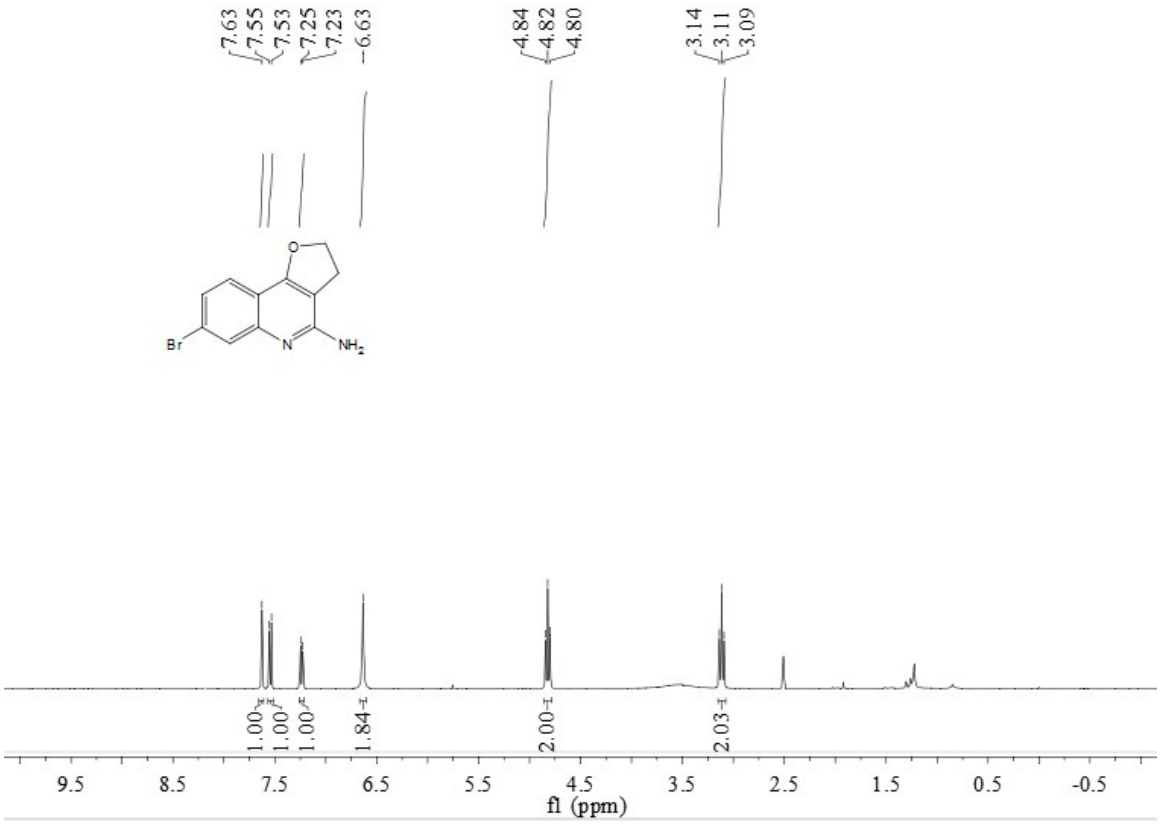

**$^{1}$H NMR (400 MHz, $CDCl_3$) spectrum for 8b**




**$^{13}$C NMR (100 MHz, $CDCl_3$) spectrum for 8b**




**$^{1}$H NMR (400 MHz, DMSO) spectrum for 8f**




**$^{13}$C NMR (100 MHz, DMSO) spectrum for 8f**