Supporting Information

Radical Bromination/Cascade Cyclization: A Facile Approach to Benzimidazo[2,1-*a*]isoquinolin-6(5*H*)-ones

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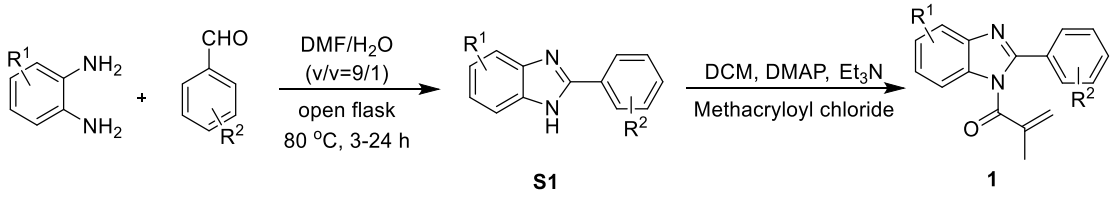
1. General information

All chemicals were obtained from commercial sources. The reactions were monitored by TLC. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded on a Bruker 400 (400, 100 and 376 MHz) spectrometer at room temperature. Proton chemical shifts δ were given in ppm using TMS as the internal standard. Mass spectra (MS) were measured on GCMS-QP2010 Ultra. High-resolution mass spectra (HRMS) were recorded on an electrospray ionization (ESI) apparatus using time-of-flight (TOF) mass spectrometry. Digital melting point apparatus was used to record the Melting Point of the compound in degree centigrade (°C) and were uncorrected. Unless otherwise noted, all reactions were carried out using standard Schlenk techniques, and all starting materials and solvents were commercially available and used without further purification. Column chromatography was performed on silica gel (300-400 mesh) using petroleum ether (PE)/ethyl acetate (EA).

2. Experimental procedures

2.1 Preparation of the Starting Materials

2.1.1 General Procedures for the Synthesis of Substrates **1** [1, 2]

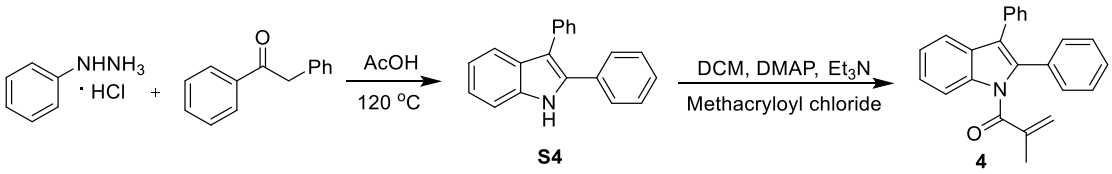


According to a modified literature procedure, *ortho*-aryenediamines (1.0 mmol; 1.0 equiv.) and aldehydes (1.1 mmol, 1.1 equiv.) were dissolved in wet DMF (DMF 9.0 mL, H_2O 1.0 mL). The resulting reaction mixture was stirred at 80 °C in an open flask, and the reaction progress was monitored by thin layer chromatography (TLC). On the complete consumption of *ortho*-aryenediamines, the reaction mixture was cooled to room temperature and concentrated under the reduced pressure. The crude product obtained was purified by column chromatography on silica gel to afford the corresponding benzimidazole **S1**.

According to a modified literature procedure, to the solution of benzimidazole **S1** (5.0 mmol, 1.0 equiv.) and DMAP (1.0 mmol, 0.2 equiv.) in DCM (0.5 M) was added Et_3N (10 mmol, 2.0 equiv.) and methacryloyl chloride (10.0 mmol, 2.0 equiv.) at 0 °C.

The solution was warmed up to room temperature and stirred for 12-24 h. Reaction progress was monitored by TLC. The mixture was diluted with DCM (20 mL) and saturated $NaHCO_3$ solution (20 mL). The organic and aqueous layers were separated. The aqueous layer was extracted with DCM (20 mL × 2). The combined organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated in vacuo to give a residue, which was purified by flash chromatography and then recrystallized from n-hexane/EtOAc to afford the product **1**.

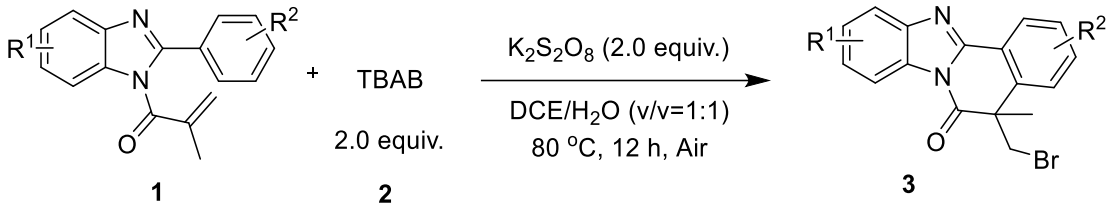
2.1.2 General Procedures for the Synthesis of Substrates **4** [3]



According to a modified literature procedure, a mixture of arylhydrazine hydrochloride (11 mmol, 1.1 equiv.), ketone (10 mmol, 1.0 equiv.) and acetic acid (10 mL, 1.0 M) was heated to 120 ºC for 12-24 h in a 100 mL round-bottomed flask under N_2 atmosphere. The reaction mixture was cooled to room temperature. AcOH was removed by rotary evaporation and the residue was portioned between water (50 mL) and EtOAc (20 mL). The organic and aqueous layers were separated. The aqueous layer was extracted with EtOAc (20 mL × 2), and the combined organic phase was washed with a saturated solution of sodium bicarbonate (20 mL) and brine (20 mL), dried with Na_2SO_4 and the solvent was evaporated. The crude product was purified by column chromatography to afford the desired product indole **S4**.

According to a modified literature procedure, to the solution of indole **S4** (5.0 mmol, 1.0 equiv.) and DMAP (1.0 mmol, 0.2 equiv.) in DCM (0.5 M) was added Et_3N (10 mmol, 2.0 equiv.) and methacryloyl chloride (10 mmol, 2.0 equiv.) at 0 ºC. The solution was warmed up to room temperature and stirred for 2-3 days. The mixture was diluted with DCM (20 mL) and saturated NH_4Cl solution (20 mL). The organic and aqueous layers were separated. The aqueous layer was extracted with DCM (20 mL × 2). The combined organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated in vacuo to give a residue, which was purified by flash chromatography and then recrystallized from n-hexane/EtOAc to afford the product **4**.

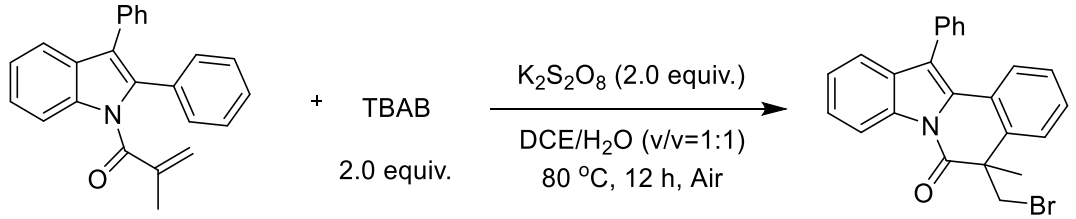
2.2 General procedure for the synthesis of 3



1 2 3

To a tube equipped with magnetic stir bar, *N*-methacryloyl-2-phenylbenzimidazole **1** (0.2 mmol, 1.0 equiv.)**,** tetra-*n*-butyl ammonium bromide (TBAB) **2** (0.4 mmol, 2.0 equiv.) and $K_2S_2O_8$ (0.4 mmol, 2.0 equiv.) in DCE/H_2O (v/v=1:1, 2.0 mL) were stirred at 80 °C (metal module heating) for 12 h under air atmosphere. After the mixture was cooled to room temperature, the solvent was removed under reduced pressure, purification was performed by flash column chromatography on silica gel with petroleum ether/ethyl acetate (gradient mixture ratio from 20:1 to 4:1) as eluent to give the corresponding compounds **3**.

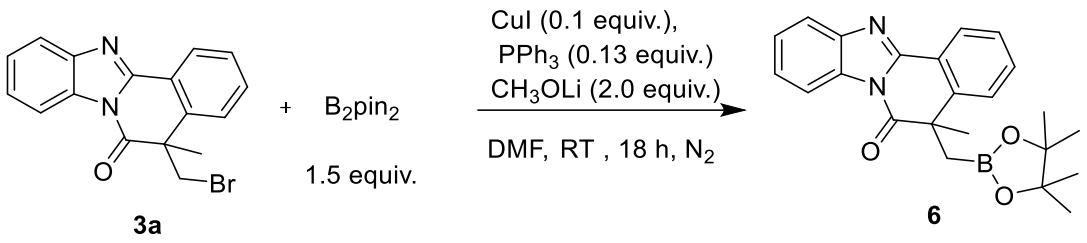
2.3 General procedure for the synthesis of 5



4 2 5

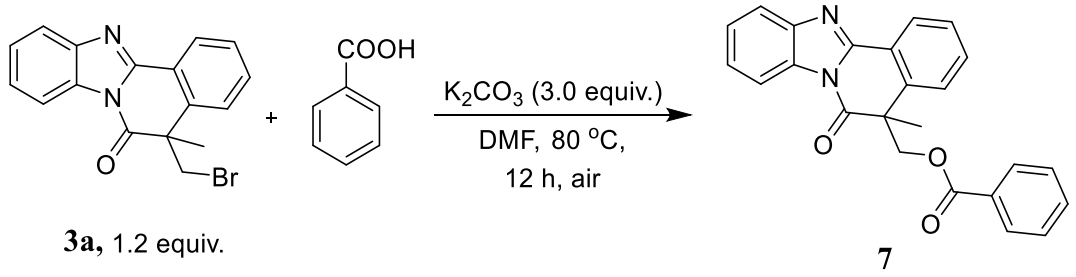
To a tube equipped with magnetic stir bar, 1-(2,3-diphenyl-1H-indol-1-yl)-2-methylprop-2-en-1-one **4** (67.5mg, 0.2 mmol, 1.0 equiv.)**,** tetra-*n*-butyl ammonium bromide (TBAB) **2** (128.9 mg, 0.4 mmol, 2.0 equiv.) and $K_2S_2O_8$ (108.1 mg, 0.4 mmol, 2.0 equiv.) in DCE/H_2O (v/v=1:1, 2.0 mL) were stirred at 80 °C (metal module heating) for 12 h under air atmosphere. After the mixture was cooled to room temperature, the solvent was removed under reduced pressure, purification was performed by flash column chromatography on silica gel with petroleum ether/ethyl acetate (gradient mixture ratio from 50:1 to 5:1) as eluent to give the corresponding compounds **5** (62%, 51.6 mg).

2.4 General procedure for the synthesis of 6[4]



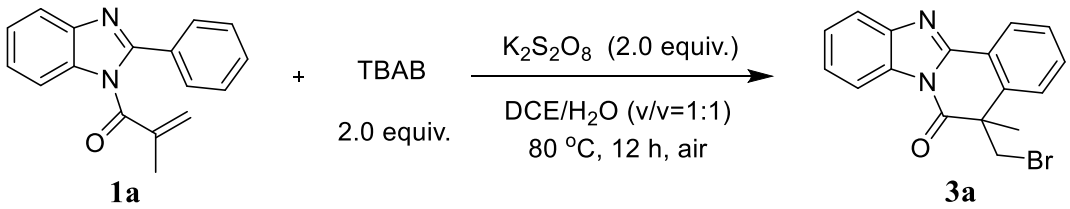
In air, CuI (4.8 mg, 0.025 mmol, 0.1 equiv.), PPh_3 (8.6 mg, 0.033 mmol, 0.13 equiv.), LiOMe (20.0 mg, 0.5 mmol, 2.0 equiv.), bis(pinacolato)diboron (96.5 mg, 0.38 mmol, 1.5 equiv.) and 5-(bromomethyl)-5-methylbenzo-[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one **3a** (85.3 mg, 0.25 mmol, 1.0 equiv.) were added to a Schlenk tube equipped with a stir bar. The vessel was evacuated and filled with N_2 (three times). DMF (0.5 mL) were added in turn by syringe under N_2 atmosphere. The resulting reaction mixture was stirred vigorously at 25 ºC for 18 h. Upon completion of the reaction, the solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (silica gel, petroleum ether/EtOAc =5:1, v/v) to give the desired product **6** (40%, 38.8 mg).

2.5 General procedure for the synthesis of 7[5]



A mixture of benzoic acid (24.4 mg, 0.2 mmol, 1.0 equiv.), 5-(bromomethyl)-5-methylbenzo[4,5] imidazo[2,1-*a*]isoquinolin-6(5*H*)-one **3a** (81.9 mg, 0.24 mmol, 1.2 equiv.) and K_2CO_3 (82.9 mg, 0.6 mmol, 3.0 equiv.) in DMF (2 mL) was stirred for 90 ºC for 10 h. Upon completion of the reaction, the solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (silica gel, petroleum ether/EtOAc =5:1, v/v) to give the desired product **7**（97%, 74.2 mg）.

2.5 Scale-up reaction



1a **3a**

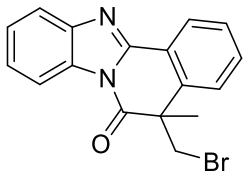
A mixture of *N*-methacryloyl-2-aryl-benzimidazole **1a** (1.34 g, 5.0 mmol, 1.0 equiv.), TBAB **2** (3.22 g, 10 mmol, 2.0 equiv.) and $K_2S_2O_8$ (2.70 g, 10 mmol, 2.0 equiv.) in DCE/H_2O (v/v=1:1, 50 mL) was stirred at 80 ℃ for 12 h under air atmosphere. The solvent was evaporated under vacuum, and the residue was quenched with water (50 mL), extracted with ethyl acetate (3 × 20 mL). The combined organic layers were washed with brine (25 mL) and dried over anhydrous Na_2SO_4. After filtration, the solvent was evaporated in vacuo. The crude product was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5:1) to give the desired product **3a** as white solid (76 %, 1.33 g).

2.6 Mechanistic investigations control experiment with radical scavenger

To a tube equipped with magnetic stir bar, *N*-methacryloyl-2-phenylbenzimidazole **1a** (52.5 mg, 0.2 mmol, 1.0 equiv.), TBAB **2** (128.9 mg, 0.4 mmol, 2.0 equiv.), $K_2S_2O_8$ (108.1 mg, 0.4 mmol, 2.0 equiv.) and TEMPO (62.5 mg, 0.4 mmol, 2.0 equiv.)/BHT (88.1 mg, 0.4 mmol, 2.0 equiv.) in DCE/H_2O (v/v=1:1, 2.0 mL) were stirred at 80 ºC (metal module heating) for 12 h under air atmosphere. The corresponding product **3a** was not detected according to TLC analysis. The product TEMPO/BHT was detected by HRMS. HRMS (ESI-TOF) Calculated for $C_9H_{19}BrNO^+$ ([M+H^+]): 236.0645. found: 236.0643. Calculated for $C_{15}H_{24}BrO^+$ ([M+H^+]): 299.1005. found: 299.1003.

3. Characterization of the products 3

5-(Bromomethyl)-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3a)

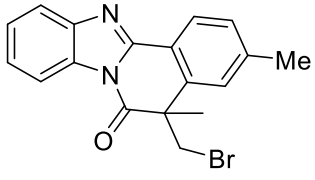


White solid; 54.9 mg, 80% yield; m.p. 177-178 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, *J* = 7.5 Hz, 1H), 8.39 (d, *J* = 5.4 Hz, 1H), 7.85 (d, *J* = 5.1 Hz, 1H), 7.64 (t, *J* = 7.1 Hz, 1H), 7.56 (t, *J* = 7.1 Hz, 1H), 7.48 (s, 3H), 4.31 (d, *J* = 10.0 Hz, 1H), 3.81 (d, *J* = 10.0 Hz, 1H), 1.84 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.7, 149.5, 144.0, 139.4, 132.1, 131.2, 128.5, 126.1, 126.1, 125.8, 125.4, 123.4, 119.9, 115.7, 50.9, 39.5, 28.2; **HRMS (ESI, m/z)** Calcd for $C_{17}H_{14}BrN_2O^+$ $[M+H]^+$: 341.0284, found: 341.0283.

5-(Bromomethyl)-3-methyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3b)



c

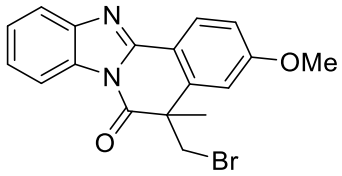
White solid; 53.4 mg, 75% yield; m.p. 234-234 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 – 8.33 (m, 2H), 7.83 (d, *J* = 6.6 Hz, 1H), 7.50 – 7.41 (m, 2H), 7.38 (d, *J* = 8.0 Hz, 1H), 7.25 (s, 1H), 4.29 (d, *J* = 10.0 Hz, 1H), 3.81 (d, *J* = 10.0 Hz, 1H), 2.51 (s, 3H), 1.83 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.8, 149.7, 144.0, 142.7, 139.4, 131.2, 129.6, 126.0, 126.0, 125.8, 125.5, 120.8, 119.7, 115.6, 50.8, 39.6, 28.1, 22.0;

HRMS (ESI, m/z) Calcd for $C_{18}H_{15}BrKN_2O^+$ $[M+K]^+$: 392.9999, found: 393.0000.

5-(Bromomethyl)-3-methoxy-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3c)



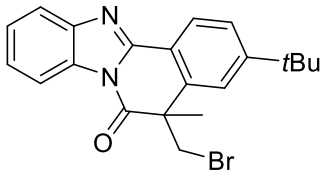
White solid; 56.5 mg, 76% yield; m.p. 166-168 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 8.7 Hz, 1H), 8.36 (d, *J* = 7.4 Hz, 1H), 7.80 (d, *J* = 7.5 Hz, 1H), 7.48 – 7.38 (m, 2H), 7.10 (d, *J* = 10.7 Hz, 1H), 6.94 (s, 1H), 4.29 (d, *J* = 10.0 Hz, 1H), 3.94 (s, 3H), 3.77 (d, *J* = 10.0 Hz, 1H), 1.83 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.7, 162.7, 149.6, 144.1, 141.4, 131.2, 128.0, 126.0, 125.2, 119.5, 116.3, 115.5, 113.9, 111.4, 55.6, 51.0, 39.5, 28.2;

HRMS (ESI, m/z) Calcd for $C_{18}H_{16}BrN_2O_2^+$ $[M+H]^+$: 371.0390, found: 371.0390.

5-(Bromomethyl)-3-(tert-butyl)-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3d)



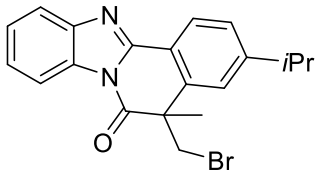
White solid; 66.0 mg, 83% yield; m.p. 174-175 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 8.1 Hz, 1H), 8.38 (d, *J* = 4.0 Hz, 1H), 7.84 (d, *J* = 4.9 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.44 (s, 3H), 4.31 (d, *J* = 9.9 Hz, 1H), 3.84 (d, *J* = 9.9 Hz, 1H), 1.84 (s, 3H), 1.42 (s, 9H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 155.8, 149.6, 144.1, 139.1, 131.2, 126.0, 125.9, 125.8, 125.5, 121.9, 120.7, 119.8, 115.6, 51.1, 39.5, 35.3, 31.1, 28.3;

HRMS (ESI, m/z) Calcd for $C_{21}H_{22}BrN_2O^+$ $[M+H]^+$: 397.0910, found: 397.0911.

5-(Bromomethyl)-3-isopropyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3e)



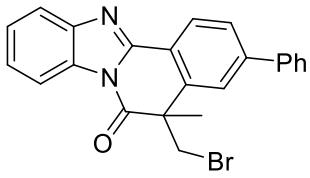
White solid; 46.1 mg, 60% yield; m.p. 87-88 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 7.9 Hz, 1H), 8.38 (d, *J* = 3.4 Hz, 1H), 7.83 (d, *J* = 3.7 Hz, 1H), 7.45 (s, 3H), 7.27 (s, 1H), 4.30 (d, *J* = 9.9 Hz, 1H), 3.83 (d, *J* = 9.8 Hz, 1H), 3.04 (s, 1H), 1.83 (s, 3H), 1.38 – 1.30 (m, 6H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 153.5, 149.7, 144.1, 139.4, 131.2, 126.7, 126.2, 126.0, 125.5, 123.4, 121.1, 119.7, 115.6, 50.9, 39.5, 34.5, 28.2, 23.7;

HRMS (ESI, m/z) Calcd for $C_{20}H_{20}BrN_2O^+$ $[M+H]^+$: 383.0754, found: 383.0752.

5-(Bromomethyl)-3-phenyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3f)



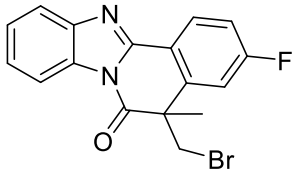
White solid; 63.6 mg, 76% yield; m.p. 188-190 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (d, *J* = 8.2 Hz, 1H), 8.43 – 8.37 (m, 1H), 7.90 – 7.84 (m, 1H), 7.80 (d, *J* = 8.2 Hz, 1H), 7.69 (d, *J* = 7.4 Hz, 2H), 7.65 (s, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.50 – 7.44 (m, 3H), 4.36 (d, *J* = 10.1 Hz, 1H), 3.90 (d, *J* = 10.1 Hz, 1H), 1.91 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.7, 149.3, 145.0, 144.2, 139.9, 139.8, 131.3, 129.1, 128.4, 127.5, 127.3, 126.6, 126.1, 125.8, 124.1, 122.2, 119.9, 115.7, 51.1, 39.4, 28.3;

HRMS (ESI, m/z) Calcd for $C_{23}H_{18}BrN_2O^+$ $[M+H]^+$: 417.0597, found: 417.0597.

5-(Bromomethyl)-3-fluoro-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3g)



White solid; 45.4 mg, 63% yield; m.p. 173-174 ℃;

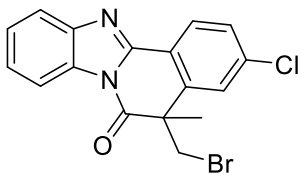
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.54 (s, 1H), 8.37 (s, 1H), 7.83 (s, 1H), 7.47 (s, 2H), 7.28 (s, 1H), 7.16 (d, *J* = 9.0 Hz, 1H), 4.30 (d, *J* = 9.9 Hz, 1H), 3.74 (d, *J* = 10.0 Hz, 1H), 1.84 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 165.0 (d, *J* = 253.5 Hz), 148.7, 143.9, 142.1 (d, *J* = 7.7 Hz), 128.6 (d, *J* = 9.1 Hz), 120.0 (d, *J* = 2.7 Hz), 131.1, 126.2, 125.8, 119.9, 116.5 (d, *J* = 22.3 Hz), 115.7, 112.6 (d, *J* = 23.4 Hz), 51.1, 39.1, 28.1;

^{19}F NMR (376 MHz, $CDCl_3$) δ -105.8;

HRMS (ESI, m/z) Calcd for $C_{17}H_{13}BrFN_2O^+$ $[M+H]^+$: 359.0190, found: 359.0191.

5-(Bromomethyl)-3-chloro-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3h)

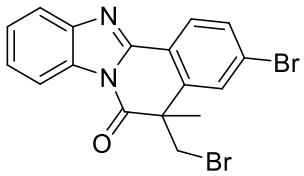


White solid; 45.9 mg, 61% yield; m.p. 199-200 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 6.9 Hz, 1H), 8.37 (s, 1H), 7.83 (s, 1H), 7.54 (d, *J* = 7.8 Hz, 1H), 7.46 (d, *J* = 9.1 Hz, 3H), 4.29 (d, *J* = 9.6 Hz, 1H), 3.75 (d, *J* = 9.6 Hz, 1H), 1.84 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.0, 148.5, 143.9, 141.0, 138.2, 131.2, 129.1, 127.4, 126.3, 126.0, 125.8, 122.1, 120.0, 115.7, 51.0, 39.1, 28.0; **HRMS (ESI, m/z)** Calcd for $C_{17}H_{12}BrClN_2NaO^+$ $[M+Na]^+$: 396.9714, found: 396.9714.

5-(Bromomethyl)-3-bromo-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3i)

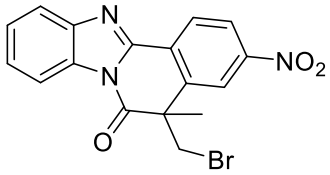


White solid; 60.6 mg, 72% yield; m.p. 234-235 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 – 8.35 (m, 2H), 7.86 – 7.81 (m, 1H), 7.70 (d, *J* = 7.5 Hz, 1H), 7.61 (s, 1H), 7.51 – 7.44 (m, 2H), 4.29 (d, *J* = 10.1 Hz, 1H), 3.75 (d, *J* = 10.1 Hz, 1H), 1.84 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.9, 148.6, 143.9, 141.2, 132.0, 131.2, 128.7, 127.5, 126.6, 126.3, 126.1, 122.5, 120.0, 115.7, 50.9, 39.1, 27.9; **HRMS (ESI, m/z)** Calcd for $C_{17}H_{13}Br_2N_2O^+$ $[M+H]^+$: 418.9389, found: 418.9387.

5-(Bromomethyl)-3-nitro-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3j)



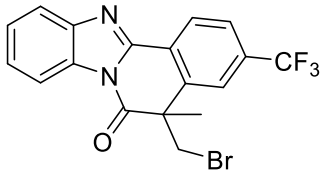
Yellow solid; 31.0 mg, 40% yield; m.p. 244-246 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (d, *J* = 8.3 Hz, 1H), 8.62 – 8.21 (m, 3H), 7.90 (d, *J* = 3.6 Hz, 1H), 7.55 (d, *J* = 3.5 Hz, 2H), 4.36 (d, *J* = 10.3 Hz, 1H), 3.86 (d, *J* = 10.2 Hz, 1H), 1.93 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 149.7, 147.2, 144.0, 140.8, 131.3, 129.0, 127.3, 127.0, 126.7, 123.5, 121.2, 120.6, 115.9, 51.3, 38.9, 27.9; **HRMS (ESI, m/z)** Calcd for

$C_{17}H_{13}BrN_3O_3^+$ $[M+H]^+$: 386.0135, found: 386.0131.

5-(Bromomethyl)-3-trifluoromethyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3k)



White solid; 38.6 mg, 47% yield; m.p. 175-176 ℃;

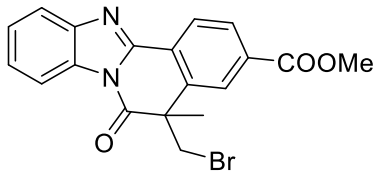
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (d, *J* = 8.2 Hz, 1H), 8.48 – 8.37 (m, 1H), 7.91 – 7.86 (m, 1H), 7.83 (d, *J* = 8.2 Hz, 1H), 7.71 (s, 1H), 7.55 – 7.47 (m, 2H), 4.33 (d, *J* = 10.2 Hz, 1H), 3.82 (d, *J* = 10.2 Hz, 1H), 1.89 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 169.8, 147.9, 143.9, 140.0, 133.6 (q, *J* = 32.9 Hz), 131.2, 126.7, 126.5, 126.4, 125.4 (q, *J* = 3.6 Hz), 124.8, 122.6 (q, *J* = 3.9 Hz), 122.1, 120.3, 115.8, 51.1, 39.0, 27.9;

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.8;

HRMS (ESI, m/z) Calcd for $C_{18}H_{13}BrF_3N_2O^+$ $[M+H]^+$: 409.0158, found: 409.0155.

5-(Bromomethyl)-3-carbomethoxy-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3l)

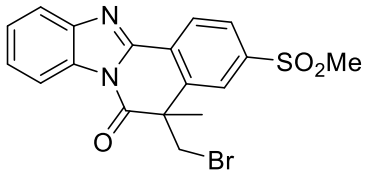


Yellow solid; 40.0 mg, 50% yield; m.p. 238-240 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (d, *J* = 8.2 Hz, 1H), 8.46 – 8.33 (m, 1H), 8.26 – 8.12 (m, 2H), 7.99 – 7.78 (m, 1H), 7.58 – 7.44 (m, 2H), 4.32 (d, *J* = 10.1 Hz, 1H), 4.01 (s, 3H), 3.88 (d, *J* = 10.1 Hz, 1H), 1.89 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.2, 165.9, 148.4, 144.0, 139.5, 133.1, 131.3, 129.3, 127.2, 127.0, 126.4, 126.3, 126.2, 120.3, 115.8, 52.6, 51.1, 39.4, 27.9;

HRMS (ESI, m/z) Calcd for $C_{19}H_{16}BrN_2O_3^+$ $[M+H]^+$: 399.0339, found: 399.0337.

5-(Bromomethyl)-3-methylsulfonyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3m)



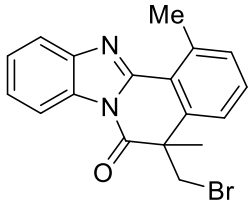
White solid; 36.2 mg, 43% yield; m.p. 218-219 ℃;

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.74 (d, *J* = 8.2 Hz, 1H), 8.50 – 8.30 (m, 1H), 8.15 – 8.01 (m, 2H), 7.92 – 7.85 (m, 4.8 Hz, 1H), 7.59 – 7.46 (m, 2H), 4.34 (d, *J* = 10.3 Hz, 1H), 3.87 (d, *J* = 10.3 Hz, 1H), 3.18 (s, 3H), 1.89 (s, 3H);

^{13}C NMR (100 MHz, CDCl$_3$) δ 169.6, 147.4, 143.9, 143.2, 140.7, 131.3, 128.2, 127.3, 127.1, 126.8, 126.6, 125.0, 120.5, 115.9, 51.3, 44.5, 38.8, 28.0;

HRMS (ESI, m/z) Calcd for $C_{18}H_{16}BrN_2O_3S^+$ $[M+H]^+$: 419.0060, found: 419.0058.

5-(Bromomethyl)-1-methyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3n)

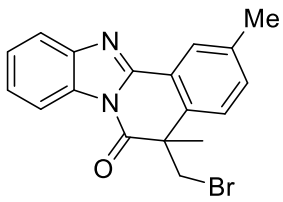


White solid; 49.8 mg, 70% yield; m.p. 179-180 ℃;

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.43 (d, *J* = 3.3 Hz, 1H), 7.88 (d, *J* = 2.2 Hz, 1H), 7.48 (s, 3H), 7.43 – 7.32 (m, 2H), 4.33 (d, *J* = 9.9 Hz, 1H), 3.82 (d, *J* = 9.9 Hz, 1H), 3.10 (s, 3H), 1.83 (s, 3H);

^{13}C NMR (100 MHz, CDCl$_3$) δ 170.8, 149.6, 144.1, 140.4, 140.0, 131.8, 130.7, 130.4, 125.8, 123.3, 122.0, 120.2, 115.7, 50.8, 39.9, 28.8, 24.7; **HRMS (ESI, m/z)** Calcd for $C_{18}H_{16}BrN_2O^+$ $[M+H]^+$: 355.0441, found: 355.0439.

5-(Bromomethyl)-2-methyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3o)



White solid; 51.9 mg, 73% yield; m.p. 172-174 ℃;

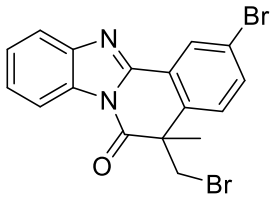
^{1}H NMR (400 MHz, CDCl$_3$) δ 8.41 – 8.37 (m, 1H), 8.36 (s, 1H), 7.88 – 7.81 (m, 1H),

7.51 – 7.42 (m, 3H), 7.35 (d, *J* = 8.1 Hz, 1H), 4.29 (d, *J* = 10.0 Hz, 1H), 3.80 (d, *J* = 10.0 Hz, 1H), 2.51 (s, 3H), 1.82 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.9, 149.7, 144.0, 138.5, 136.5, 133.1, 131.3, 126.2, 126.0, 125.7, 125.3, 123.1, 119.8, 115.7, 50.7, 39.6, 28.1, 21.0;

HRMS (ESI, m/z) Calcd for $C_{18}H_{16}BrN_2O^+$ $[M+H]^+$: 355.0441, found: 355.0439.

5-(Bromomethyl)-2-bromo-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3p)

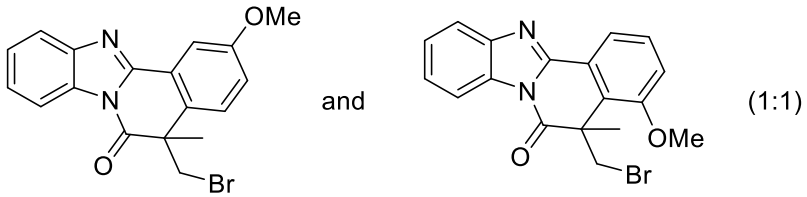


White solid; 59.8 mg, 71% yield; m.p. 212-214 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 8.38 (d, *J* = 7.1 Hz, 1H), 7.85 (d, *J* = 7.1 Hz, 1H), 7.74 (d, *J* = 8.5 Hz, 1H), 7.53 – 7.44 (m, 2H), 7.33 (d, *J* = 8.4 Hz, 1H), 4.29 (d, *J* = 10.1 Hz, 1H), 3.76 (d, *J* = 10.1 Hz, 1H), 1.83 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 148.0, 143.9, 138.1, 134.9, 131.2, 128.7, 127.2, 126.3, 126.2, 125.3, 122.6, 120.1, 115.7, 50.9, 39.0, 28.0; **HRMS (ESI, m/z)** Calcd for $C_{17}H_{13}Br_2N_2O^+$ $[M+H]^+$: 418.9389, found: 418.9390.

5-(Bromomethyl)-2-methoxy-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one and 5-(Bromomethyl)-4-methoxy-5-methylbenzo[4,5] imidazo[2,1-*a*] isoquinolin-6(5*H*)-one (3q，1:1)



White solid; 64.0 mg, 86% yield; m.p. 166-168 ℃;

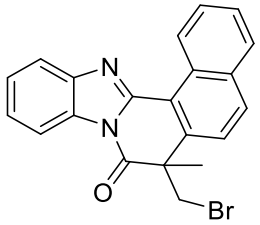
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 2H), 8.23 (d, *J* = 7.4 Hz, 1H), 7.98 (s, 1H), 7.85 (s, 2H), 7.59 – 7.43 (m, 5H), 7.35 (d, *J* = 8.6 Hz, 1H), 7.17 (dd, *J* = 19.9, 8.2 Hz, 2H), 4.73 (d, *J* = 9.2 Hz, 1H), 4.25 (dd, *J* = 18.9, 9.6 Hz, 2H), 3.98 (s, 6H), 3.77 (d, *J* = 9.9 Hz, 1H), 1.92 (s, 3H), 1.81 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 170.9, 159.4, 157.1, 149.7, 149.5, 144.1, 143.9,

131.6, 131.3, 131.3, 129.6, 126.7, 126.1, 125.8, 125.7, 124.9, 124.4, 120.6, 119.8, 118.8, 115.8, 115.7, 114.3, 108.1, 55.8, 51.2, 50.5, 39.9, 37.3, 28.0, 24.2;

HRMS (ESI, m/z) Calcd for $C_{18}H_{16}BrN_2O_2^+$ $[M+H]^+$: 371.0390, found: 371.0390.

7-(Bromomethyl)-7-methylbenzo[*h*]benzo[4,5]imidazo[2,1-*a*]isoquinolin-8(7*H*)-one (3r)



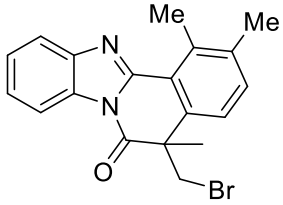
Yellow solid; 23.7 mg, 30% yield; m.p. 248-250 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 10.56 (d, *J* = 8.8 Hz, 1H), 8.49 (d, *J* = 5.4 Hz, 1H), 8.11 (d, *J* = 8.7 Hz, 1H), 7.97 (t, *J* = 7.6 Hz, 2H), 7.86 (t, *J* = 7.7 Hz, 1H), 7.68 (t, *J* = 7.4 Hz, 1H), 7.58 – 7.48 (m, 3H), 4.40 (d, *J* = 10.1 Hz, 1H), 3.95 (d, *J* = 10.1 Hz, 1H), 1.91 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.8, 149.6, 144.1, 139.7, 133.1, 133.0, 130.2, 128.9, 128.4, 128.2, 127.1, 126.1, 126.0, 122.0, 120.3, 118.9, 115.7, 51.2, 39.1, 28.3;

HRMS (ESI, m/z) Calcd for $C_{21}H_{15}BrN_2NaO^+$ $[M+Na]^+$: 413.0260, found: 413.0259.

5-(Bromomethyl)-1,2-dimethyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3s)



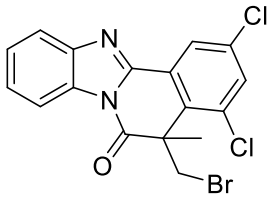
White solid; 39.2 mg, 53% yield; m.p. 238-240 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 – 8.40 (m, 1H), 7.90 – 7.85 (m, 1H), 7.50 – 7.44 (m, 2H), 7.42 (d, *J* = 8.1 Hz, 1H), 7.24 (d, *J* = 8.0 Hz, 1H), 4.31 (d, *J* = 10.0 Hz, 1H), 3.80 (d, *J* = 10.0 Hz, 1H), 3.09 (s, 3H), 2.47 (s, 3H), 1.81 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 150.1, 143.9, 138.7, 138.2, 138.1, 132.8, 130.4, 125.8, 125.7, 122.6, 122.0, 120.1, 115.7, 50.6, 40.0, 28.7, 21.1, 18.9;

HRMS (ESI, m/z) Calcd for $C_{19}H_{18}BrN_2O^+$ $[M+H]^+$: 369.0597, found: 369.0594.

5-(Bromomethyl)-2,4-dichloro-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3t)

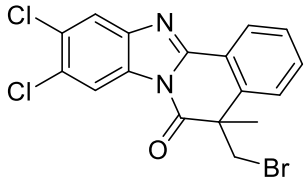


White solid; 32.9 mg, 40% yield; m.p. 231-232 ℃;

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.61 (d, *J* = 1.0 Hz, 1H), 8.42 – 8.35 (m, 1H), 7.89 – 7.83 (m, 1H), 7.64 (d, *J* = 1.0 Hz, 1H), 7.55 – 7.47 (m, 2H), 4.88 (d, *J* = 10.2 Hz, 1H), 4.27 (d, *J* = 10.2 Hz, 1H), 2.06 (s, 3H);

^{13}C NMR (100 MHz, CDCl$_3$) δ 170.3, 147.4, 143.9, 135.2, 134.4, 133.6, 133.6, 131.2, 127.3, 126.6, 126.4, 125.4, 120.2, 115.9, 52.4, 35.9, 23.8; **HRMS (ESI, m/z)** Calcd for $C_{17}H_{11}BrCl_2KN_2O^+$ $[M+K]^+$: 446.9063, found: 446.9062.

5-(Bromomethyl)-9,10-dichloro-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3u)

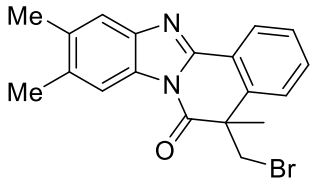


White solid; 46.8 mg, 57% yield; m.p. 206-208 ℃;

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.51 (s, 1H), 8.48 (d, *J* = 7.8 Hz, 1H), 7.91 (s, 1H), 7.68 (t, *J* = 7.6 Hz, 1H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.48 (d, *J* = 7.8 Hz, 1H), 4.27 (d, *J* = 10.0 Hz, 1H), 3.80 (d, *J* = 10.0 Hz, 1H), 1.86 (s, 3H);

^{13}C NMR (100 MHz, CDCl$_3$) δ 170.5, 151.0, 143.4, 139.6, 132.7, 130.2, 130.2, 129.6, 128.6, 126.3, 125.5, 122.9, 121.1, 117.1, 51.0, 39.4, 27.9; **HRMS (ESI, m/z)** Calcd for $C_{17}H_{12}BrCl_2N_2O^+$ $[M+H]^+$: 408.9505, found: 408.9503.

5-(Bromomethyl)-9,10-dimethyl-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (3v)



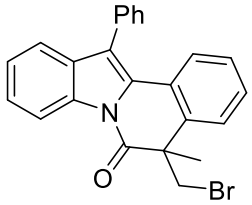
White solid; 32.6 mg, 44% yield; m.p. 182-184 ℃;

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.50 (d, *J* = 7.7 Hz, 1H), 8.18 (s, 1H), 7.62 (d, *J* = 10.2 Hz, 2H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.45 (d, *J* = 7.7 Hz, 1H), 4.30 (d, *J* = 9.9 Hz, 1H), 3.81 (d, *J* = 9.9 Hz, 1H), 2.44 (d, *J* = 7.4 Hz, 6H), 1.83 (s, 3H);

^{13}C NMR (100 MHz, CDCl$_3$) δ 170.6, 148.7, 142.5, 139.1, 135.1, 135.1, 131.7, 129.6, 128.4, 125.8, 125.3, 123.7, 120.1, 116.0, 50.8, 39.6, 28.0, 20.5;

HRMS (ESI, m/z) Calcd for $C_{19}H_{18}BrN_2O^+$ $[M+H]^+$: 369.0597, found: 369.0595.

5-(Bromomethyl)-5-methyl-12-phenylindolo[2,1-*a*]isoquinolin-6(5*H*)-one (5)

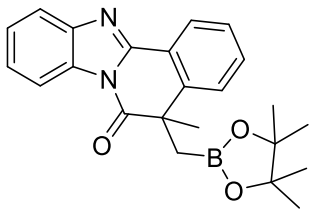


White solid; 51.7 mg, 62% yield; m.p. 178-180 ℃;

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.69 (d, *J* = 8.2 Hz, 1H), 7.62 – 7.51 (m, 5H), 7.46 (dd, *J* = 12.6, 6.2 Hz, 2H), 7.42 – 7.31 (m, 4H), 7.11 (t, *J* = 7.6 Hz, 1H), 4.37 (d, *J* = 9.9 Hz, 1H), 3.83 (d, *J* = 9.9 Hz, 1H), 1.84 (s, 3H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 170.6, 136.5, 134.2, 133.9, 132.3, 130.2, 129.3, 129.2, 128.6, 128.2, 127.3, 126.1, 125.8, 125.6, 125.5, 124.8, 120.9, 119.5, 116.7, 50.2, 40.0, 28.0;

HRMS (ESI, m/z) Calcd for $C_{24}H_{19}BrNO^+$ $[M+H]^+$: 416.0645, found: 416.0646.

5-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)-5-methylbenzo[4,5] imid azo[2,1-*a*]isoquinolin-6(5*H*)-one (6)



Colorless oil; 31.2 mg, 40% yield;

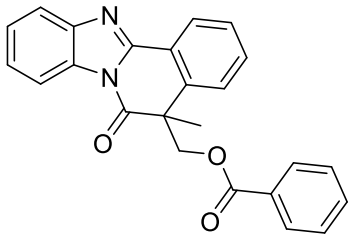
^{1}H NMR (400 MHz, CDCl$_3$) δ 8.46 (d, *J* = 7.7 Hz, 1H), 8.39 (d, *J* = 6.7 Hz, 1H), 7.84

(d, *J* = 6.6 Hz, 1H), 7.59 – 7.38 (m, 5H), 2.06 (d, *J* = 15.9 Hz, 1H), 1.76 (s, 3H), 1.68 (d, *J* = 16.0 Hz, 1H), 0.79 (d, *J* = 20.0 Hz, 12H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 174.1, 150.4, 144.0, 143.5, 131.6, 131.5, 127.3, 126.2, 125.5, 125.4, 125.2, 122.5, 119.4, 115.6, 83.3, 46.5, 31.6, 24.4, 24.1;

HRMS (ESI, m/z) Calcd for $C_{23}H_{25}BN_2NaO_3^+$ $[M+Na]^+$: 411.1850, found: 411.1852.

5-((Benzoyloxy)methyl)-5-methylbenzo[4,5]imidazo[2,1-*a*]isoquinolin-6(5*H*)-one (7)



White solid; 74.2 mg, 97% yield; m.p. 109-110 ℃;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (d, *J* = 7.8 Hz, 1H), 8.38 (d, *J* = 7.3 Hz, 1H), 7.87 (d, *J* = 7.4 Hz, 1H), 7.64 – 7.55 (m, 2H), 7.55 – 7.43 (m, 5H), 7.40 (t, *J* = 7.4 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 2H), 4.88 (dd, *J* = 26.0, 10.6 Hz, 2H), 1.85 (s, 3H);

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 165.5, 149.7, 144.0, 138.9, 133.1, 132.0, 131.3, 129.2, 128.9, 128.4, 128.3, 126.1, 126.0, 126.0, 125.8, 123.4, 119.8, 115.6, 71.7, 49.1, 23.7;

HRMS (ESI, m/z) Calcd for $C_{24}H_{19}N_2O_3^+$ $[M+H]^+$: 383.1390, found: 383.1392.

4. References

1. Y. Lee, Y. Cho, S. Lee, J. Bin, J. Yang, G. Chae, C. Cheon. Tetrahedron. 2015, 71, 4, 532-538.
2. K. Sun, S. Li, X. Chen, Y. Liu, X. Huang, D. Wei, L. Qu, Y. Zhao, B. Yu, Chem. Commun. 2019, 55, 2861-2864.
3. Y. Wei, J. Chen, B. Sun, P. Xu, Chem. Commun. 2019, 55, 5922-5925.
4. C. Yang, Z. Zhang, H. Tajuddin, C. Wu, Y. Fu, L. Liu. Angew. Chem. Int. Ed. 2012, 51, 528−532.
5. S. Huang, H. Li, J. Liu, C. Morisseau, B. Hammock, Y. Long. J. Med. Chem. 2010, 53, 8376−8386.

5. The X-ray single-crystal diffraction analysis of 3a

Crystallographic data for compound **3a** (CCDC-2053182) has been deposited with the Cambridge Crystallographic Data Centre, Copies of the data can be obtained, free of charge, on application to CCDC.

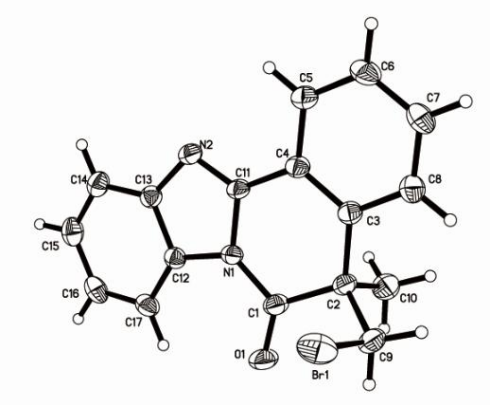


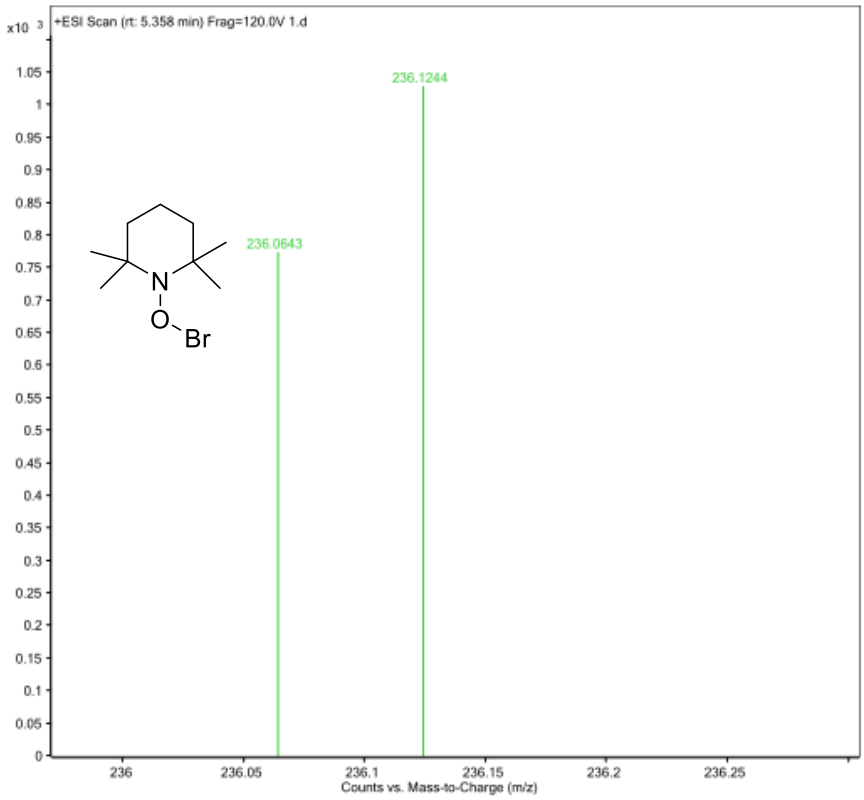
Figure S1. Crystal structure of **3a** (CCDC Number: 2053182). Ellipsoids are drawn at the 50% probability level.

Table 1 Crystal data and structure refinement for 2053182.

Empirical formula	$C_{17}H_{13}BrN_2O$
Formula weight	341.20
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	7.2783(3)
b/Å	13.5508(4)
c/Å	14.8298(5)
α/°	90
β/°	101.097(3)
γ/°	90
Volume/Å^3	1435.28(8)
Z	4
ρ_{calc}g/cm^3	1.579
μ/mm^{-1}	3.898
F(000)	688.0
Crystal size/mm^3	0.14 × 0.1 × 0.08

Radiation	CuK_α (λ = 1.54184)
2Θ range for data collection/°	8.916 to 134.152
Index ranges	$-8 \le h \le 8$, $-16 \le k \le 10$, $-17 \le l \le 14$
Reflections collected	5282
Independent reflections	2568 [R_{int} = 0.0260, R_{sigma} = 0.0364]
Data/restraints/parameters	2568/0/191
Goodness-of-fit on F^2	1.049
Final R indexes [I>=2σ (I)]	R_1 = 0.0433, wR_2 = 0.1115
Final R indexes [all data]	R_1 = 0.0545, wR_2 = 0.1214
Largest diff. peak/hole / e $Å^{-3}$	0.45/-0.71

6. HRMS spectra for 8、9



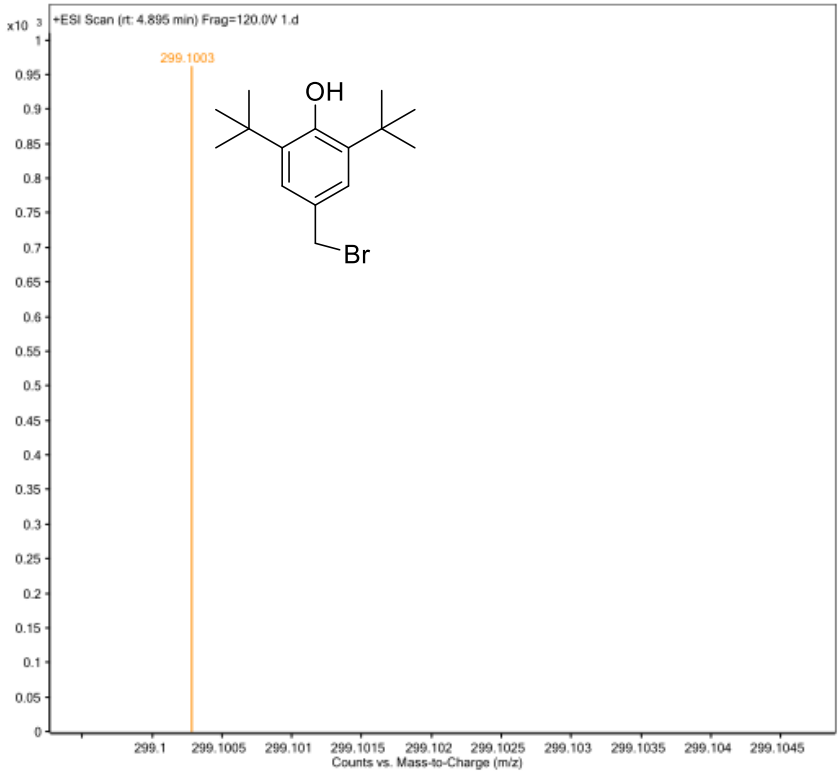
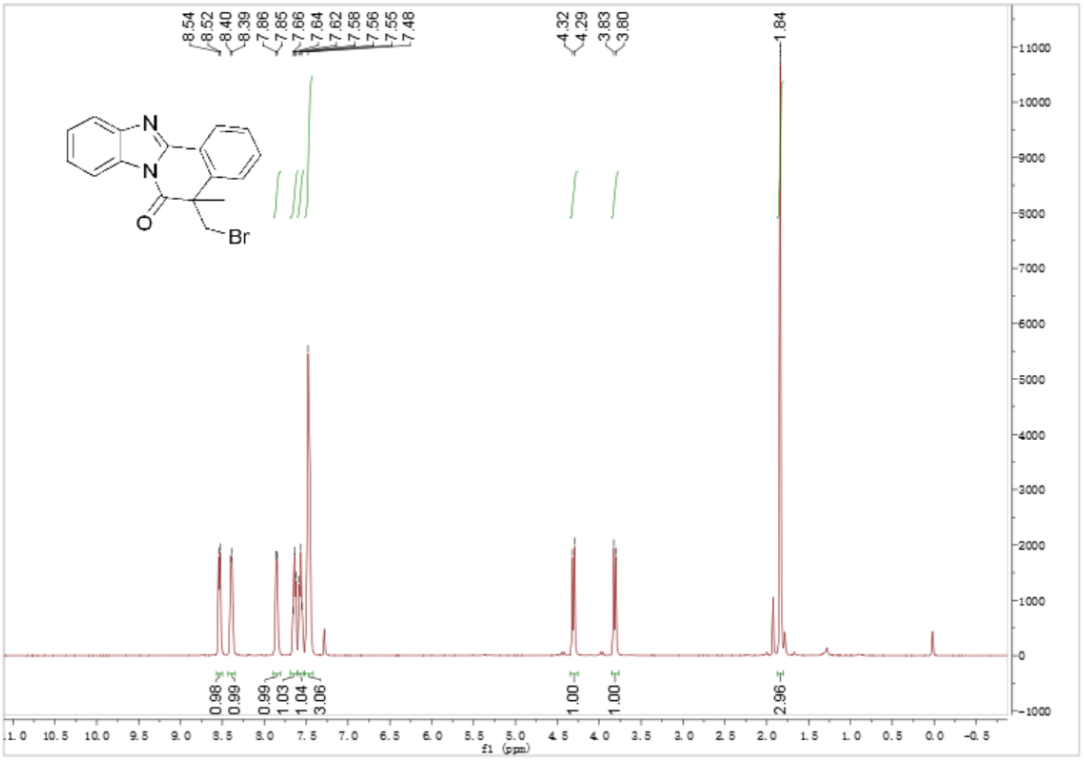


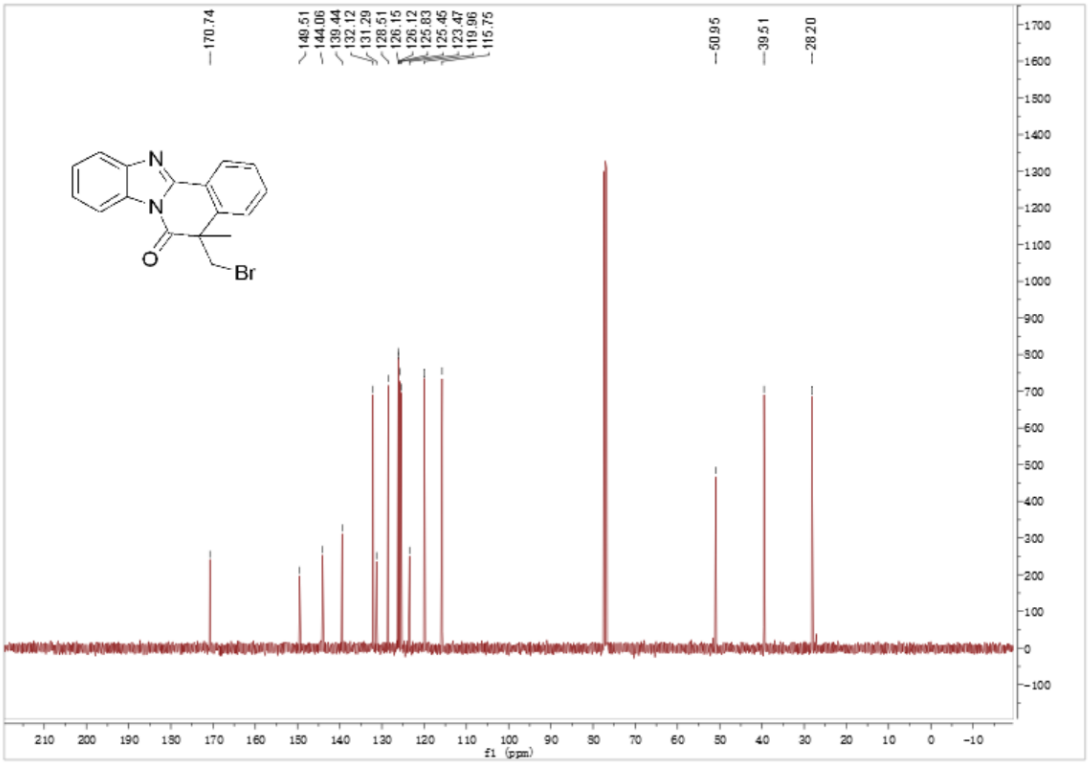
Figure S2. Copy of HRMS Spectrum of TEMPO/BHT-Br adduct

7. Copies of the ^{1}H, ^{13}C and ^{19}F NMR Spectra of the products

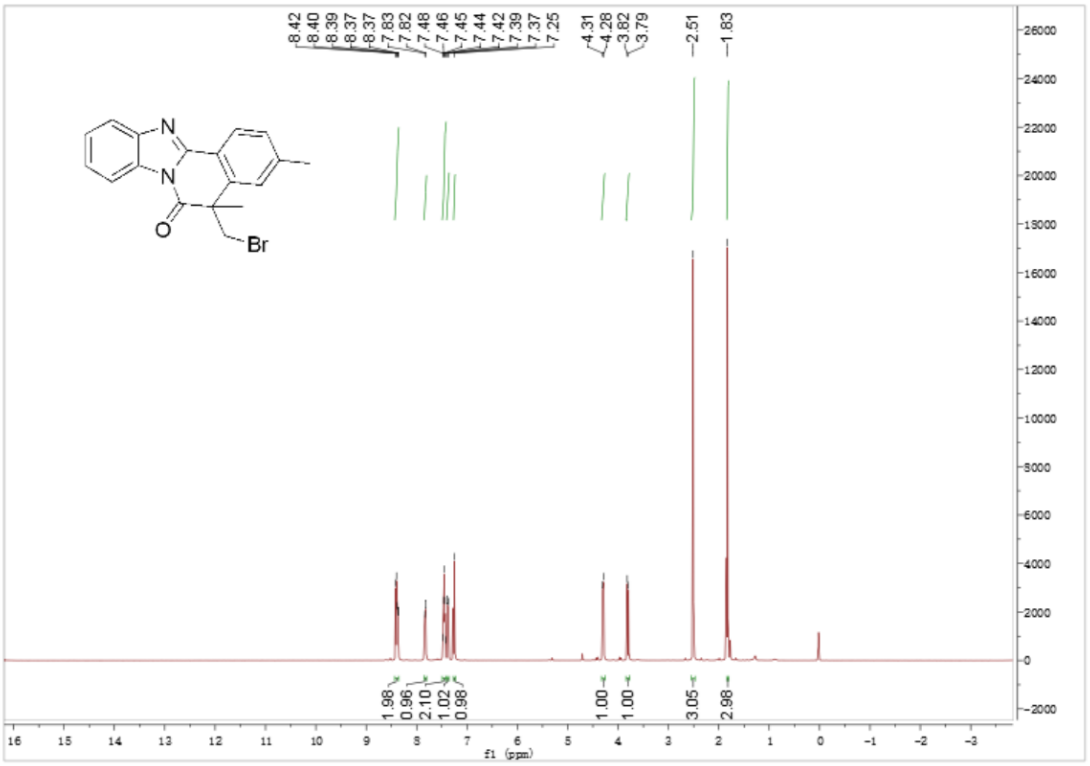
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3a**



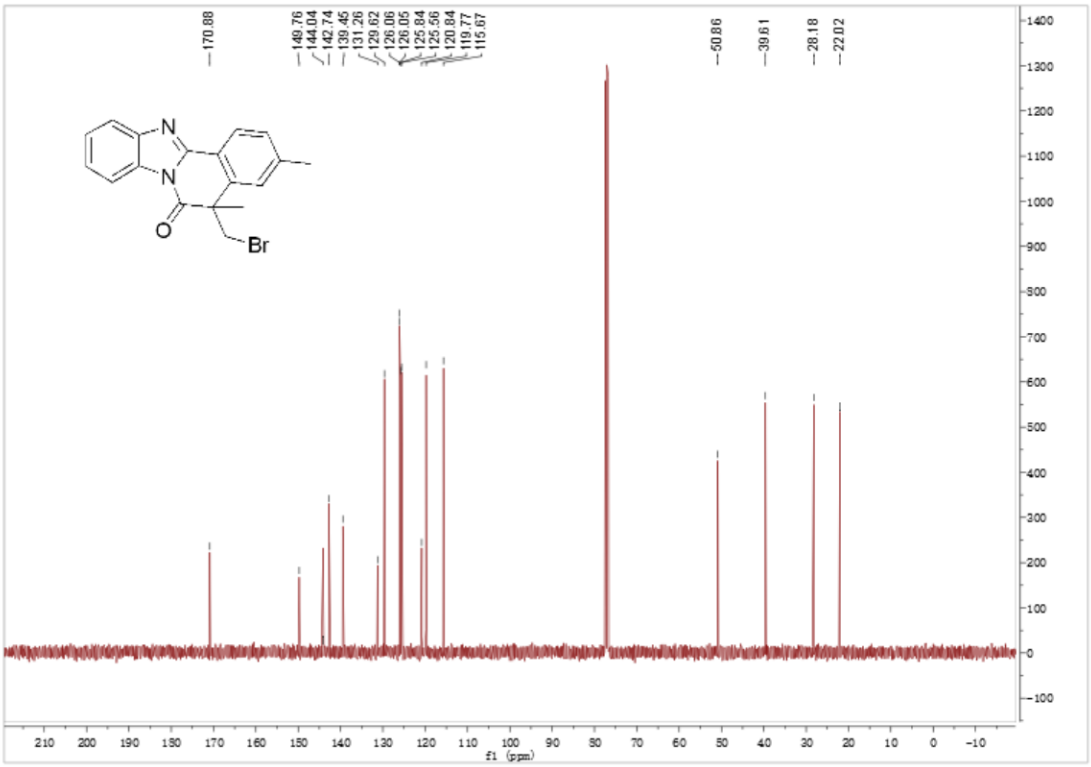
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3a**



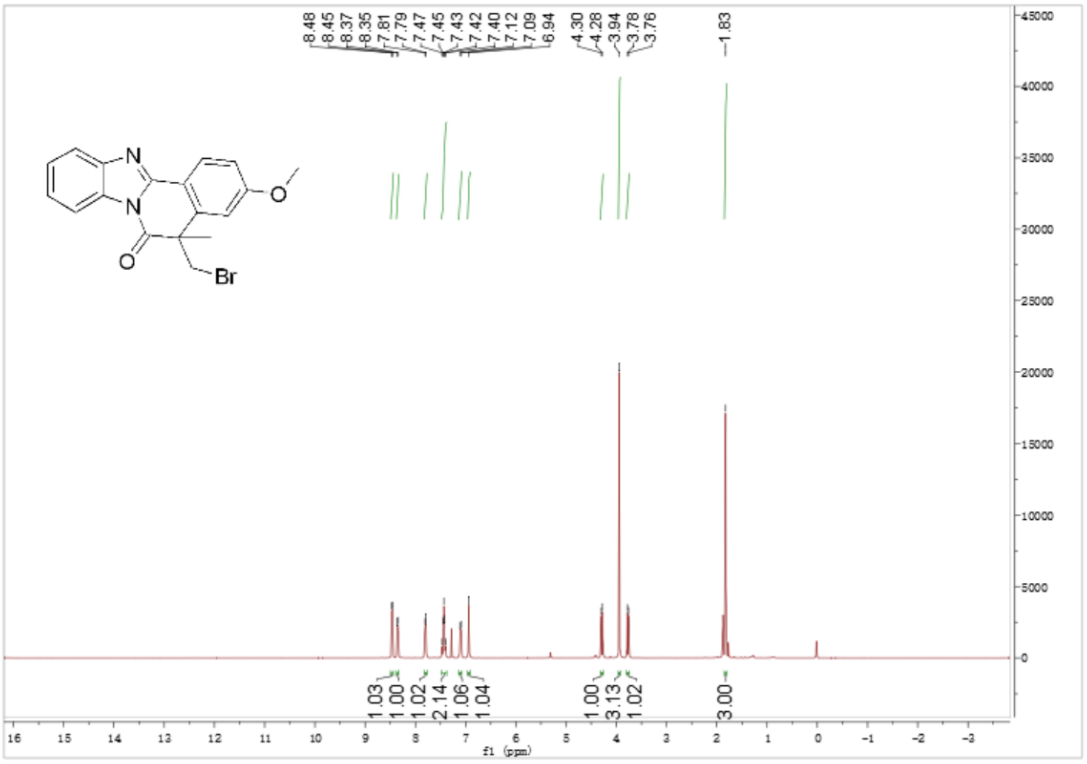
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3b**



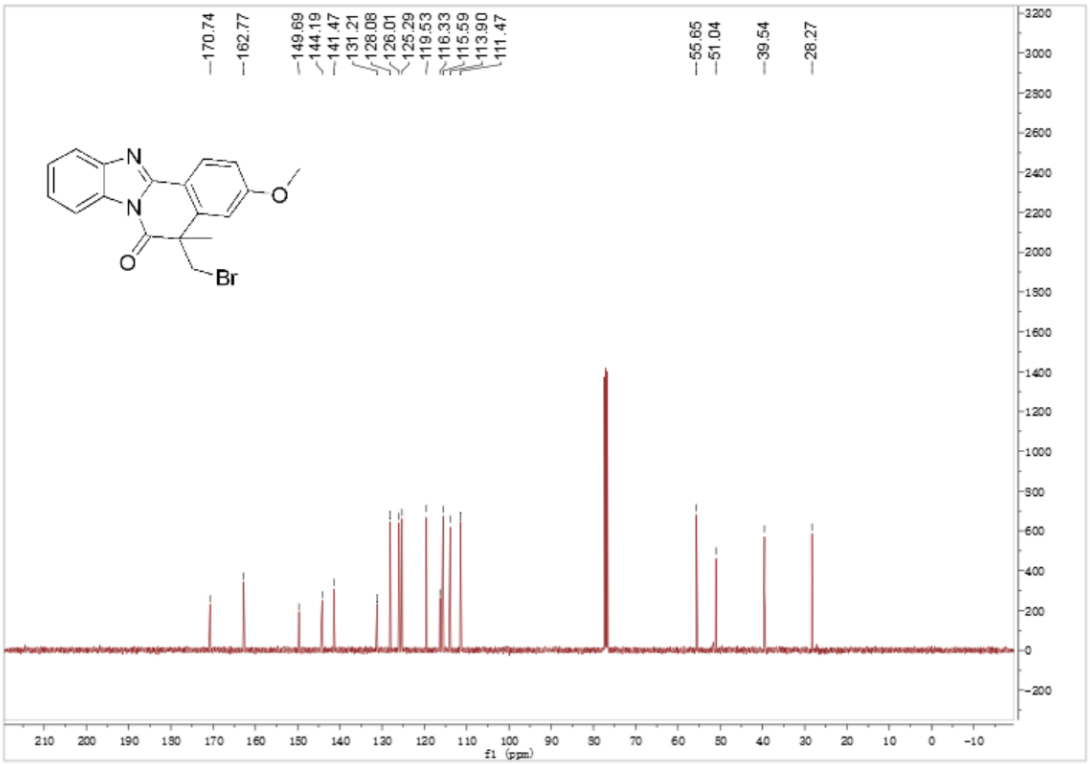
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3b**



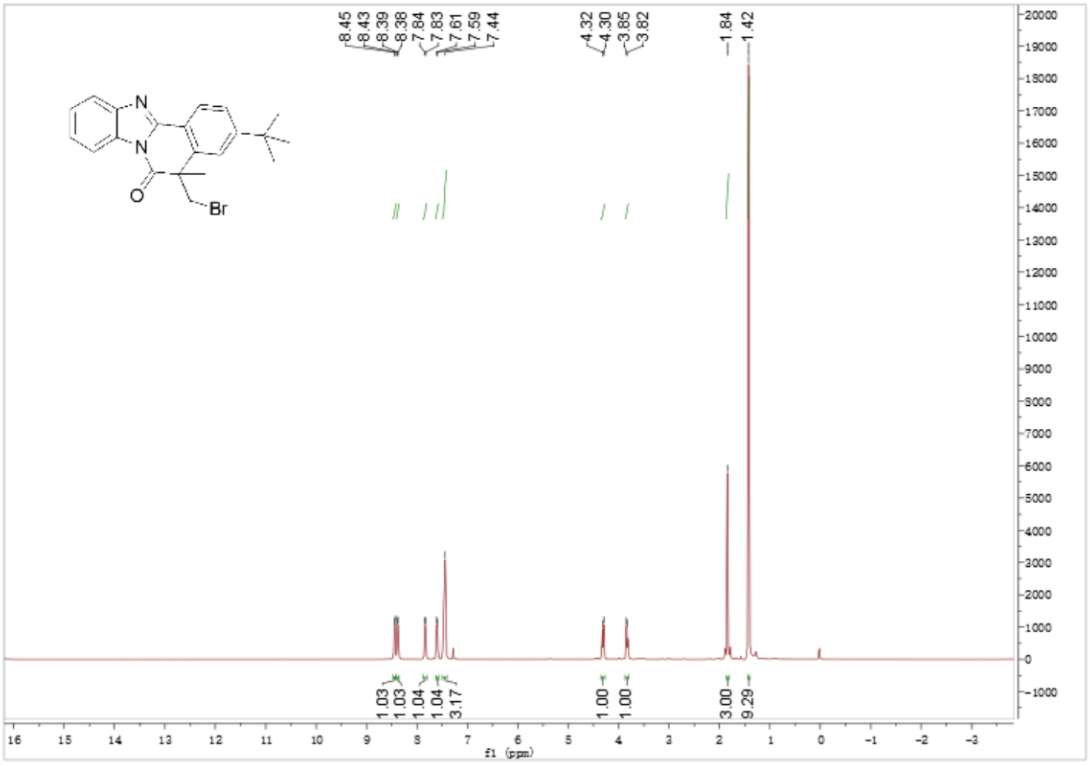
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3c**



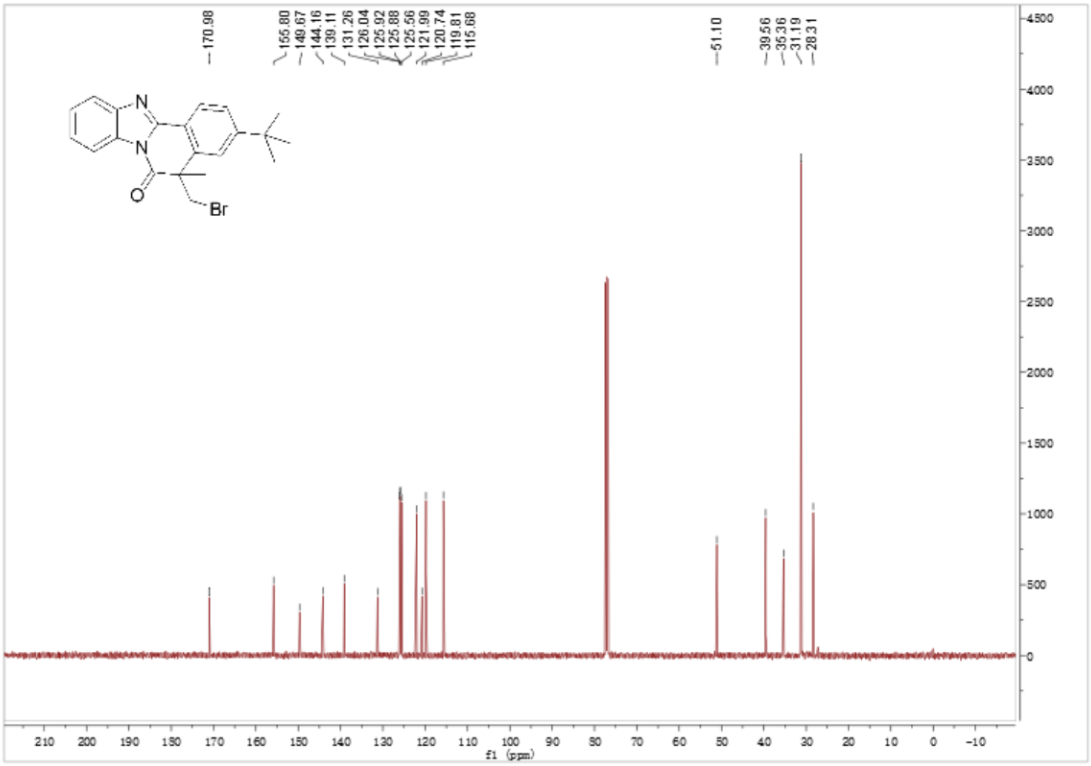
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3c**



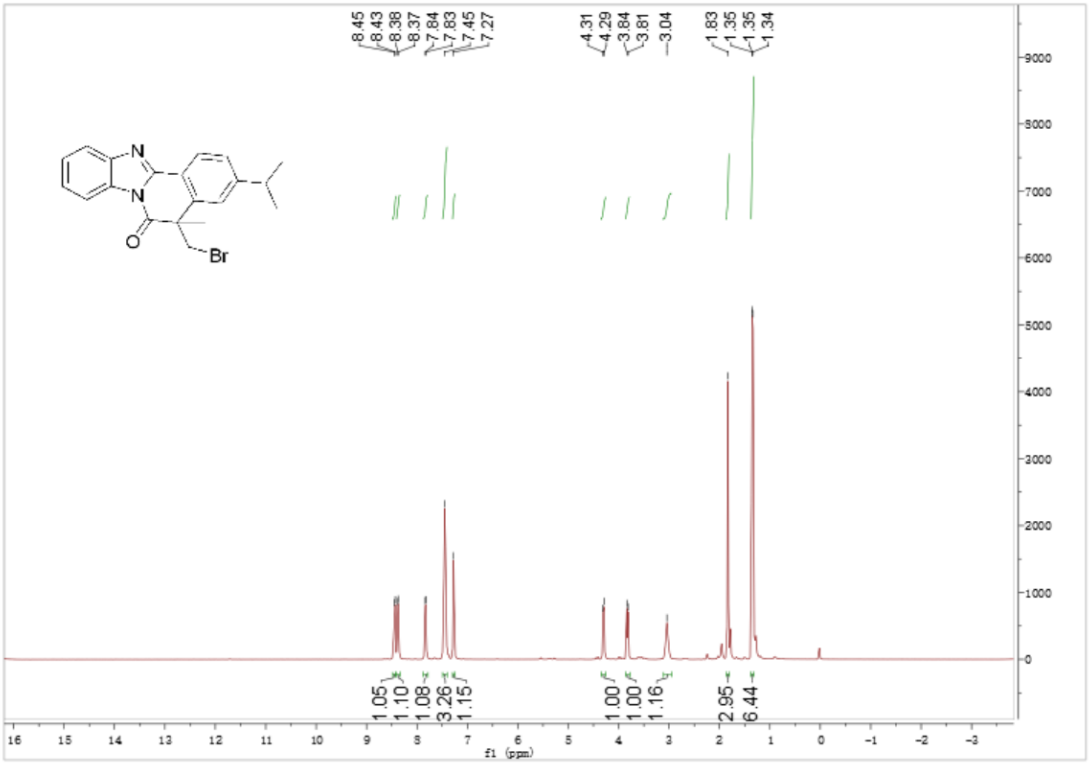
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3d**



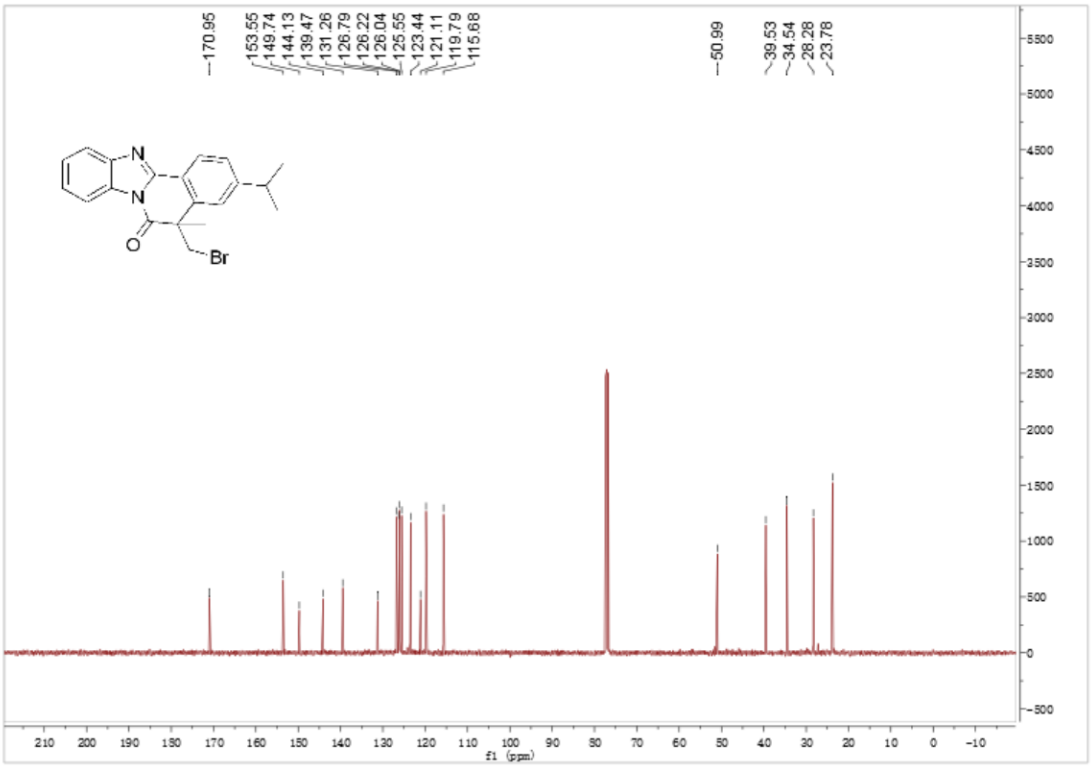
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3d**



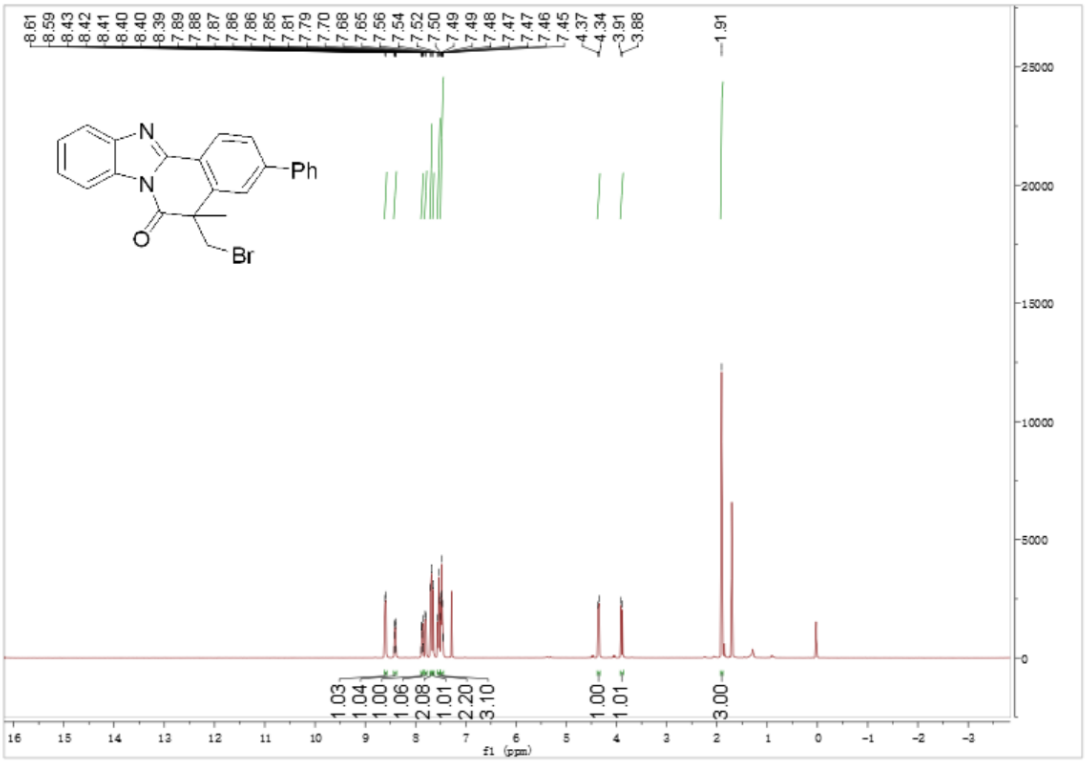
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3e**



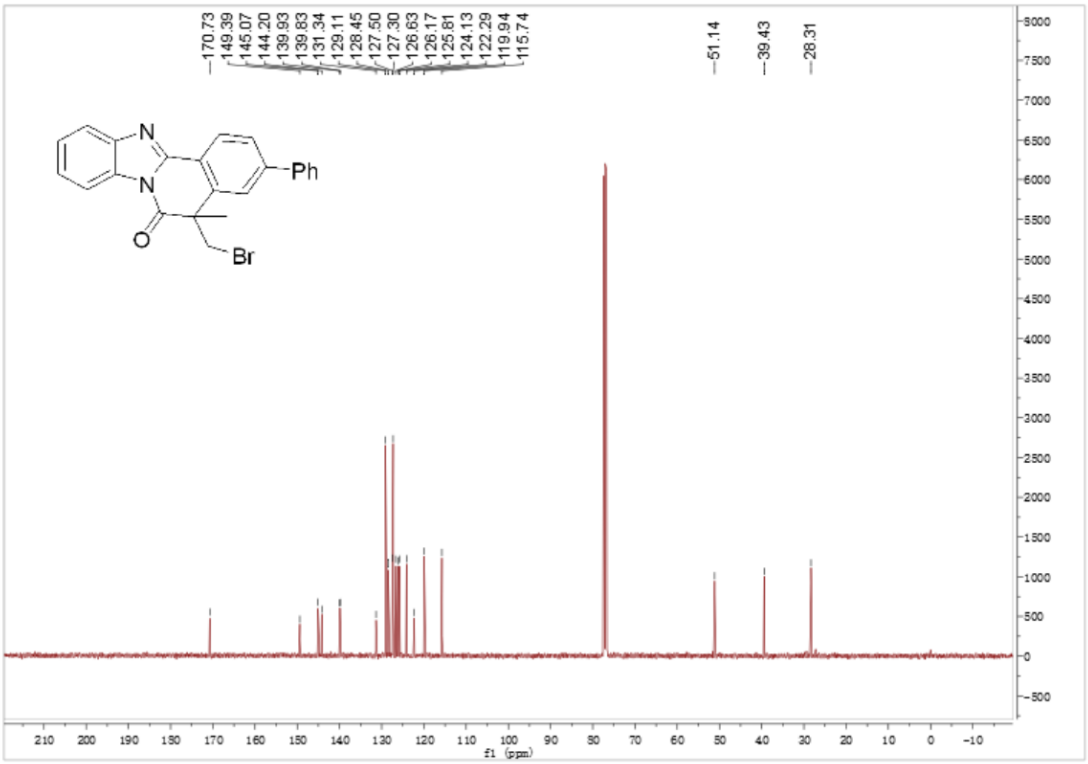
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3e**



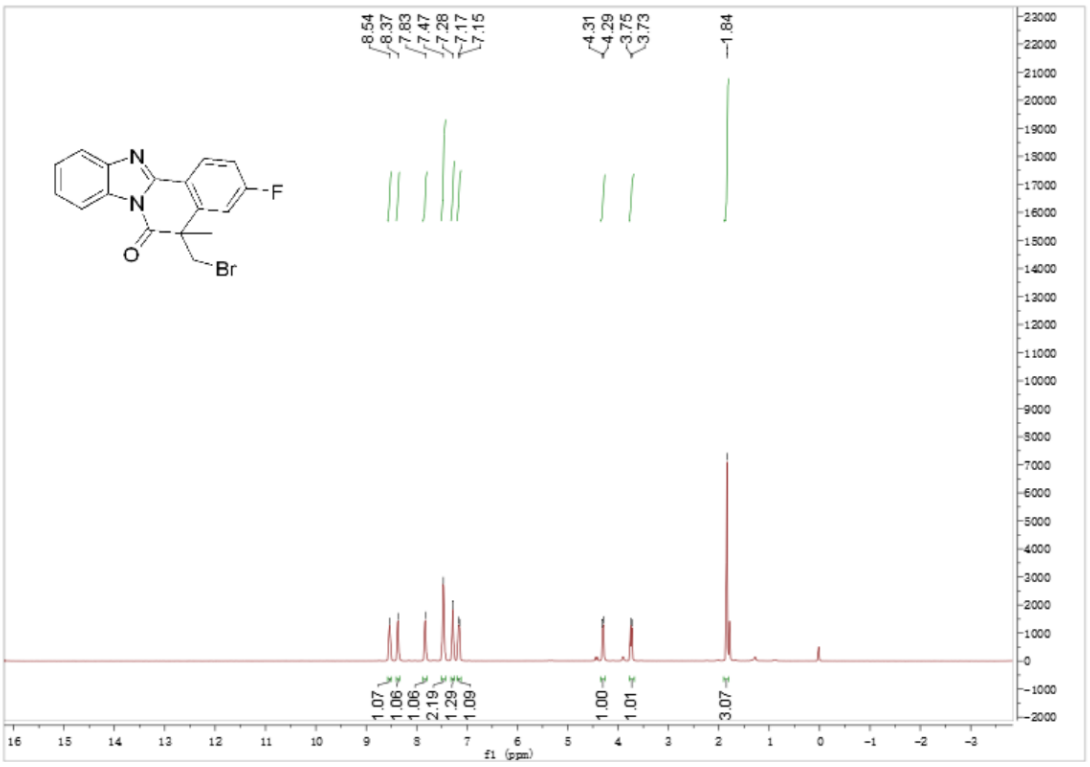
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3f**



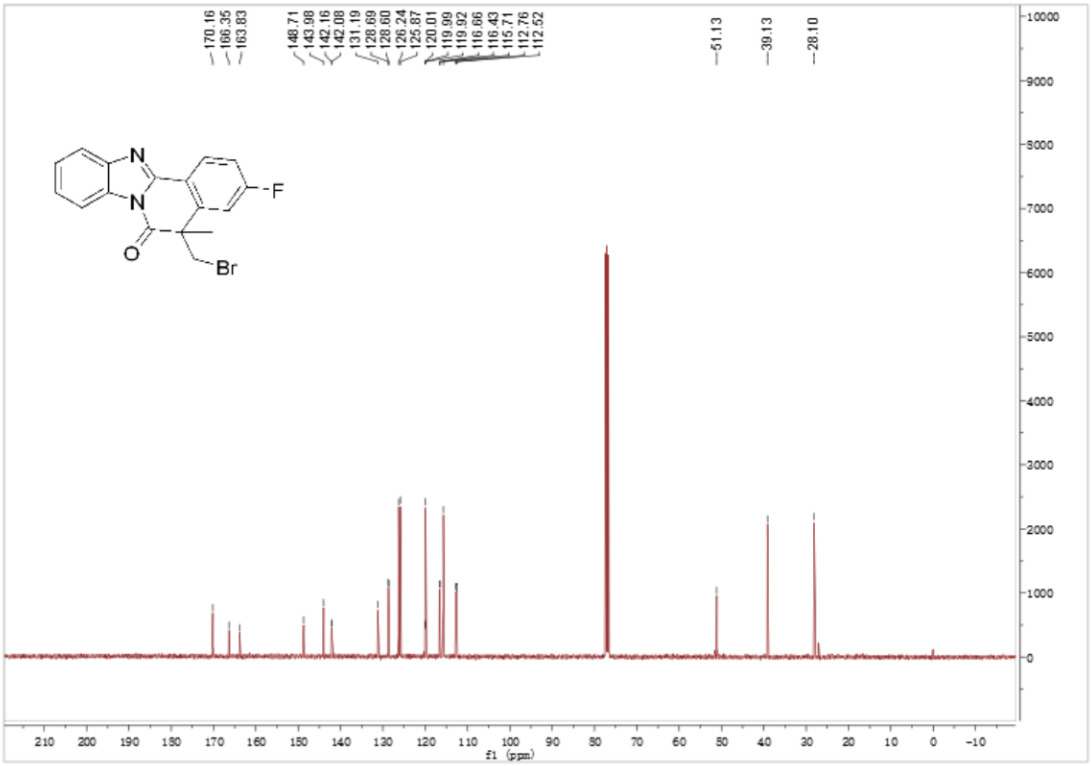
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3f**



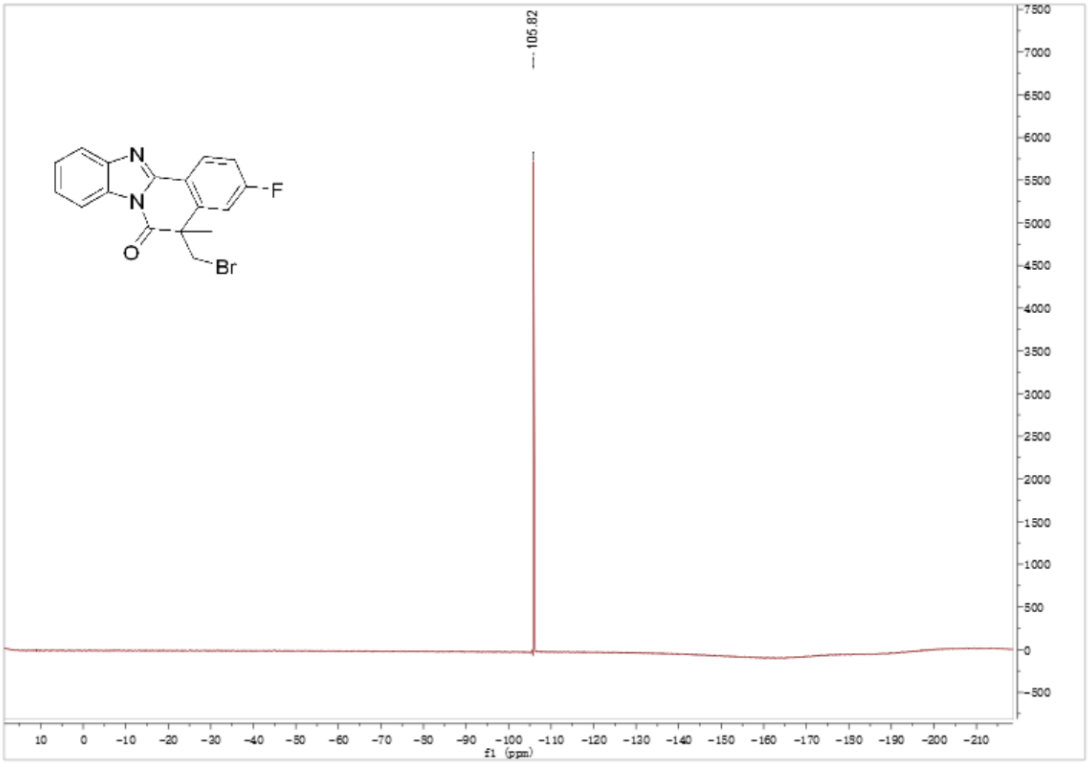
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3g**



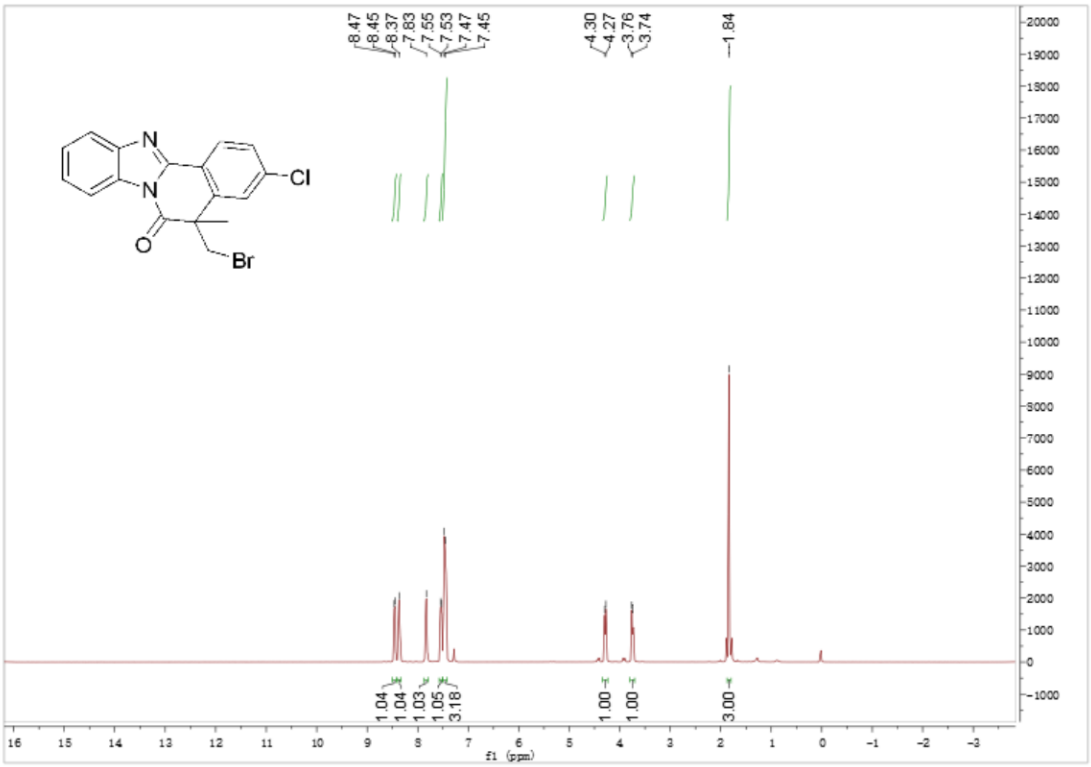
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3g**



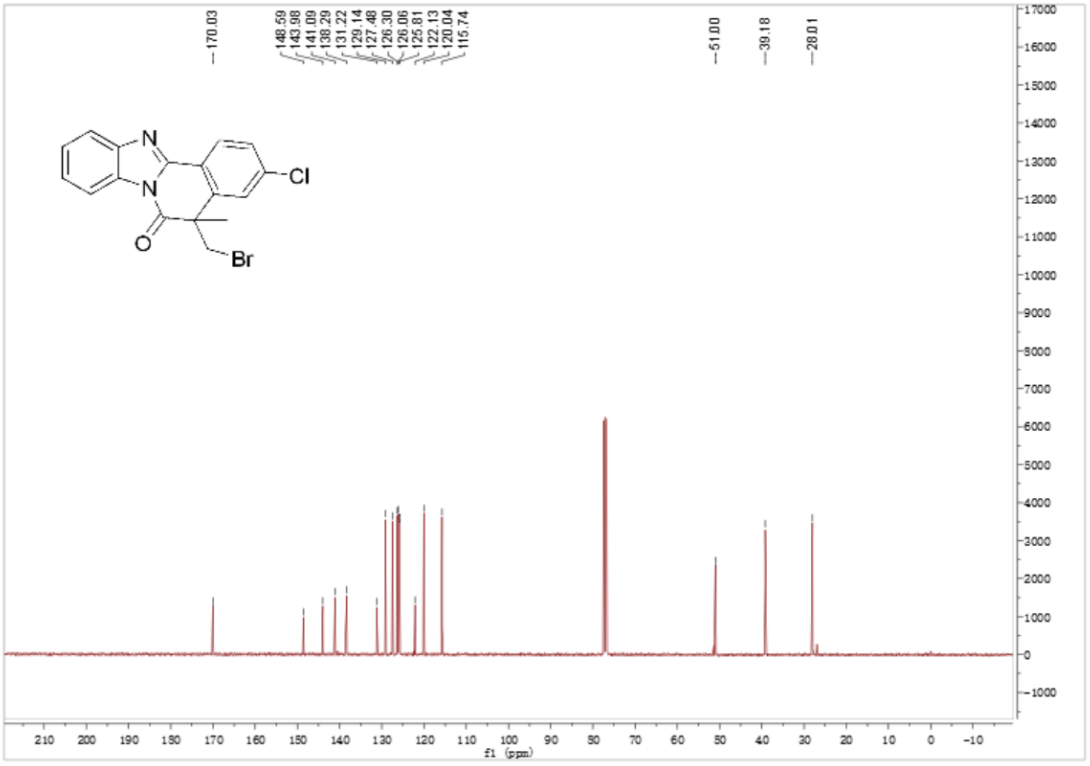
^{19}F NMR (376 MHz, $CDCl_3$) spectrum of **3g**



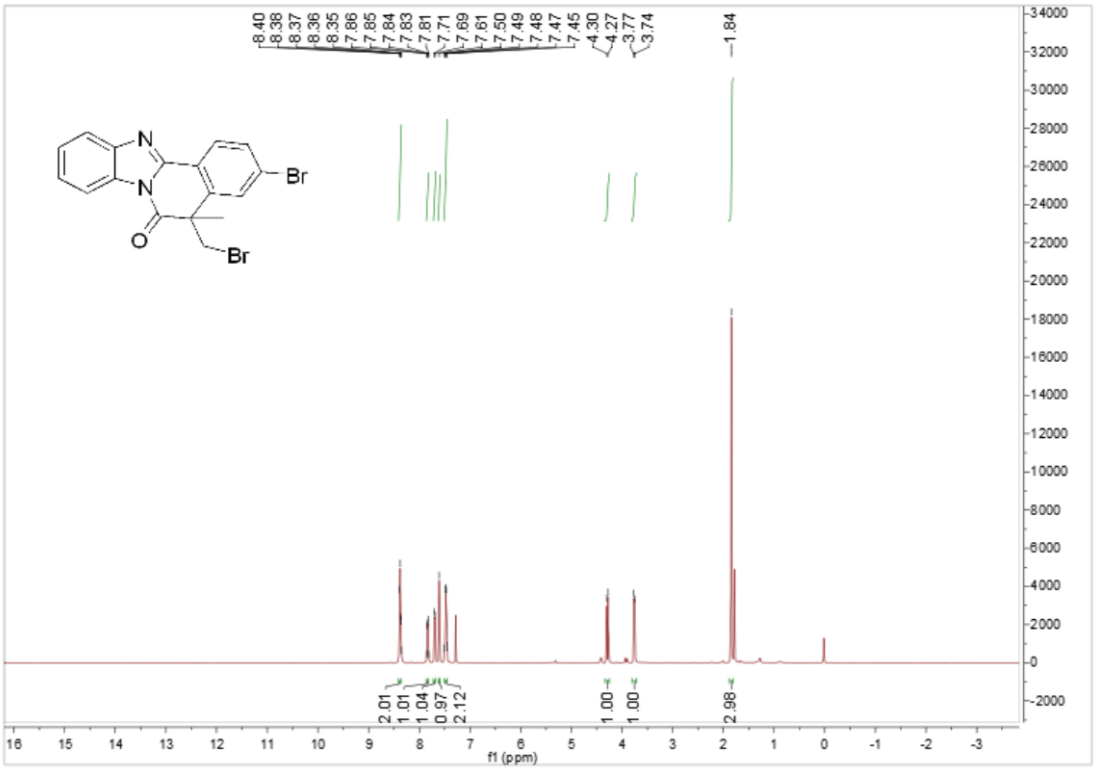
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3h**



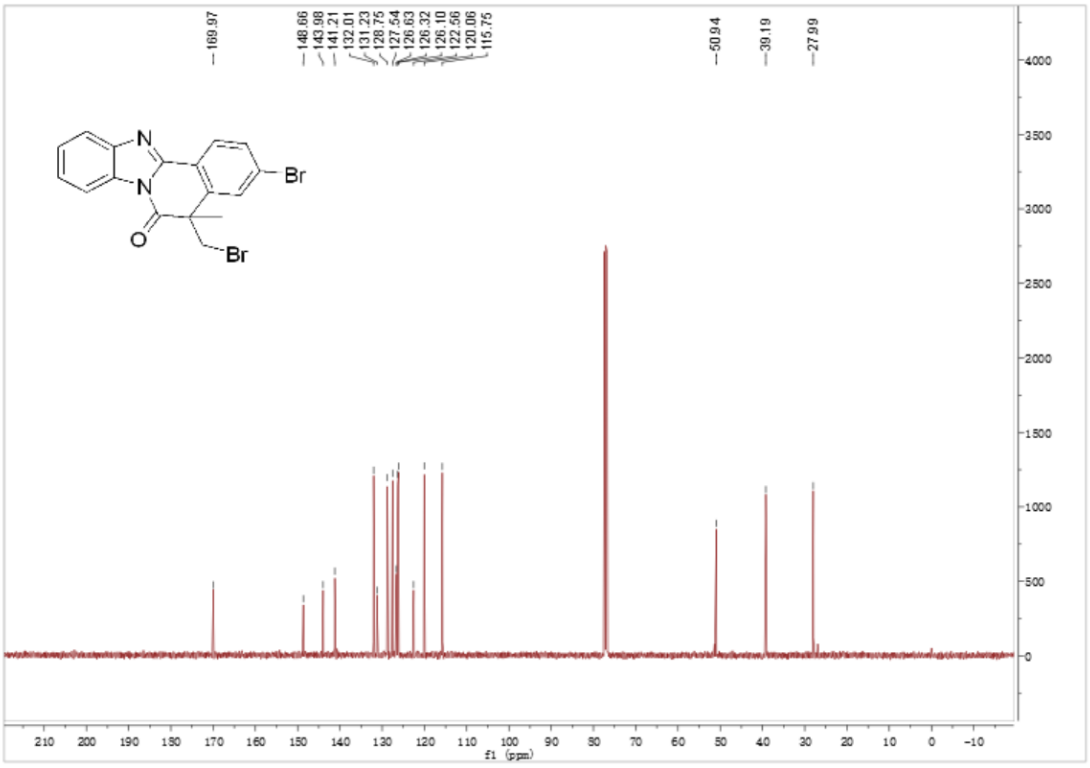
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3h**



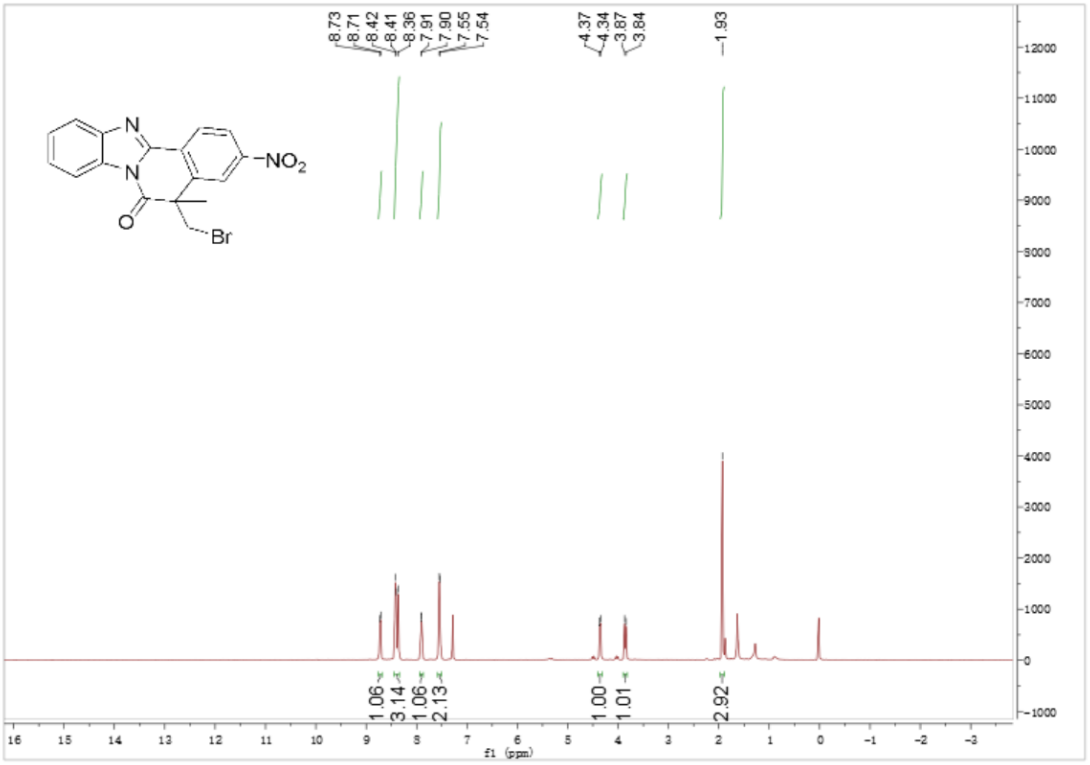
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3i**



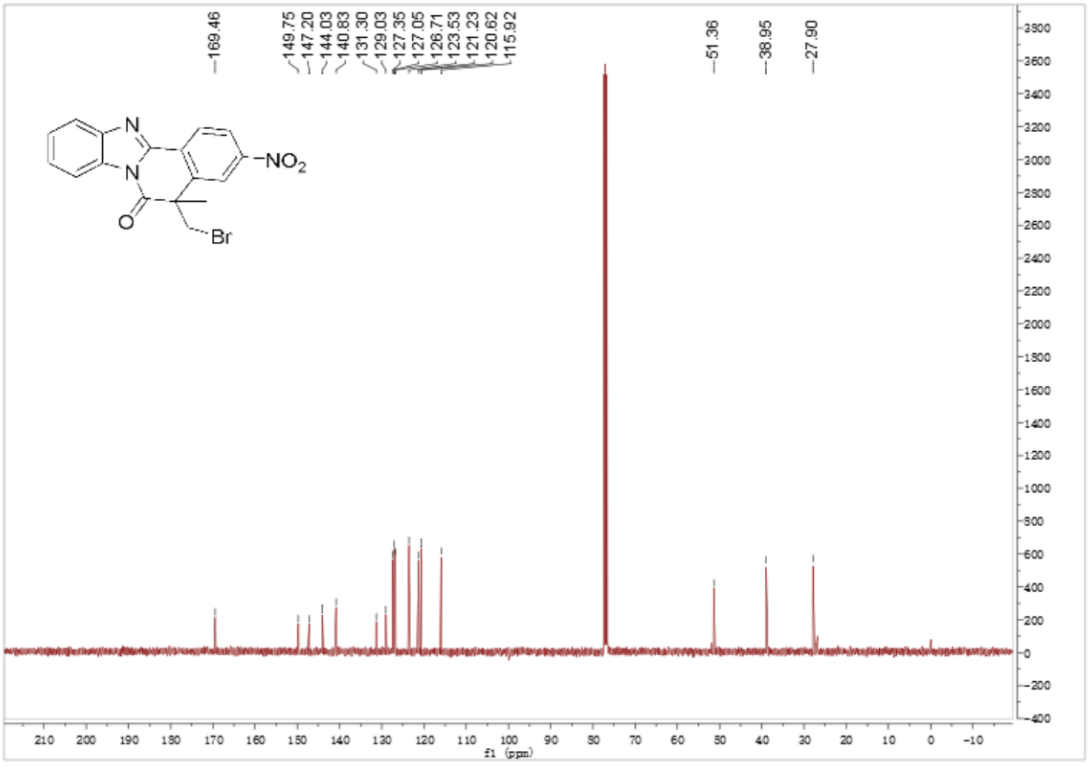
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3i**



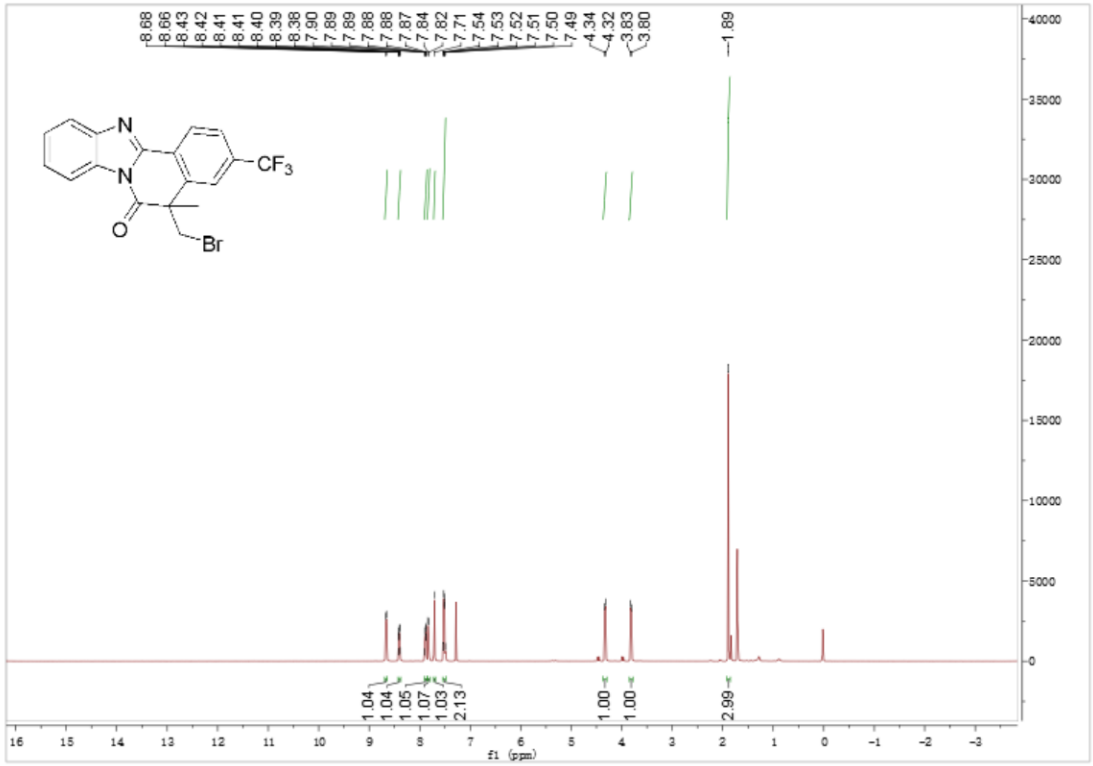
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3j**



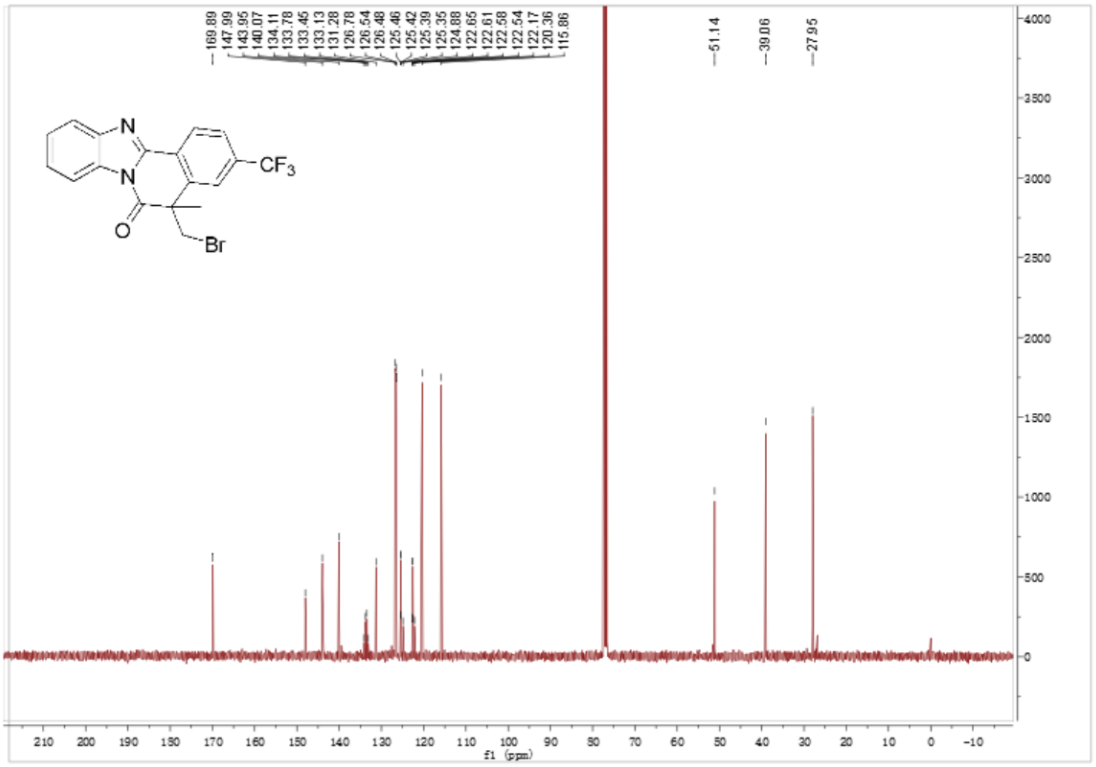
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3j**



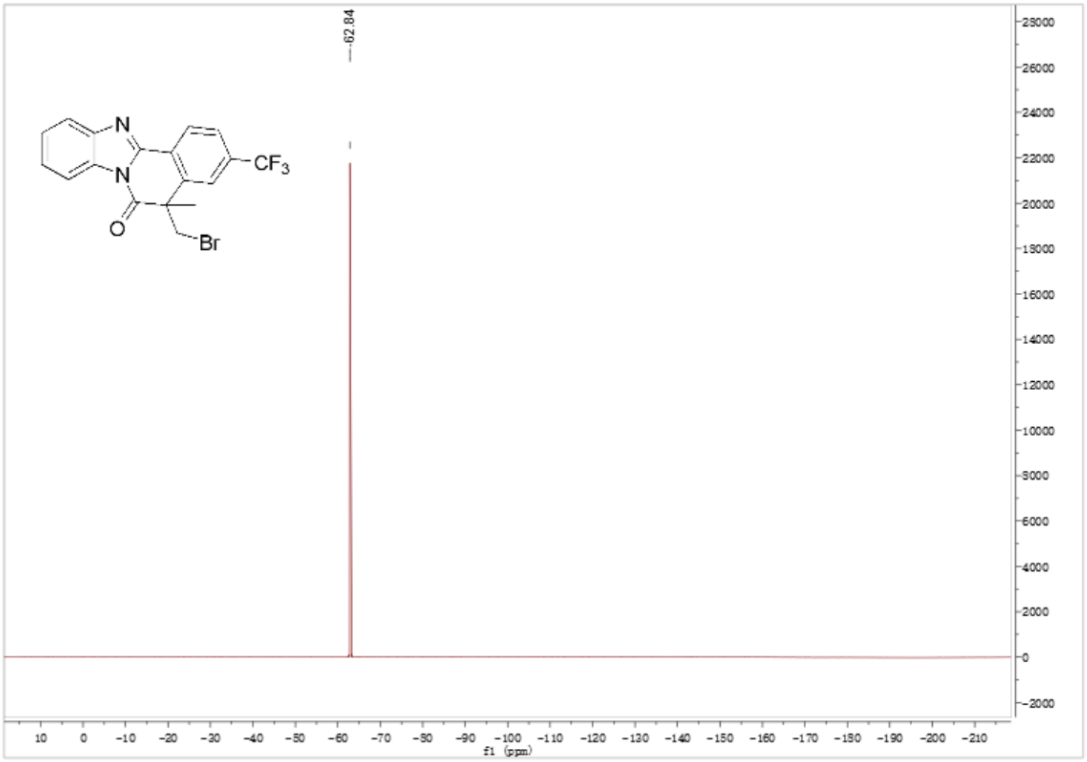
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3k**



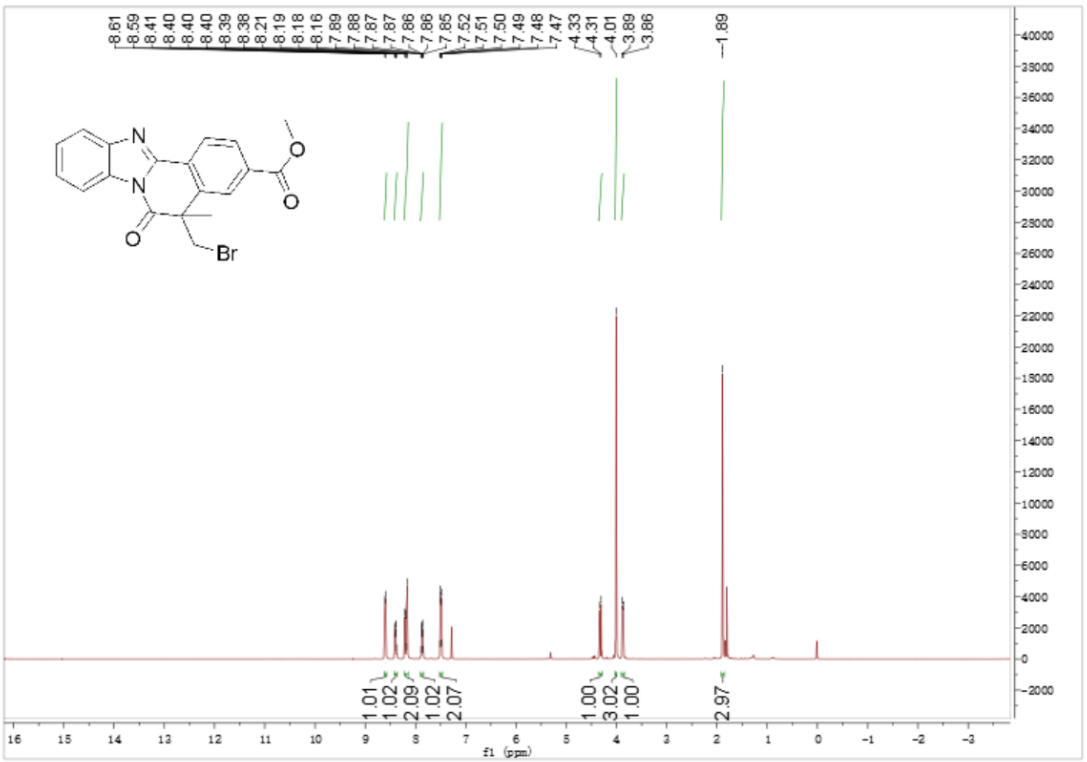
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3k**



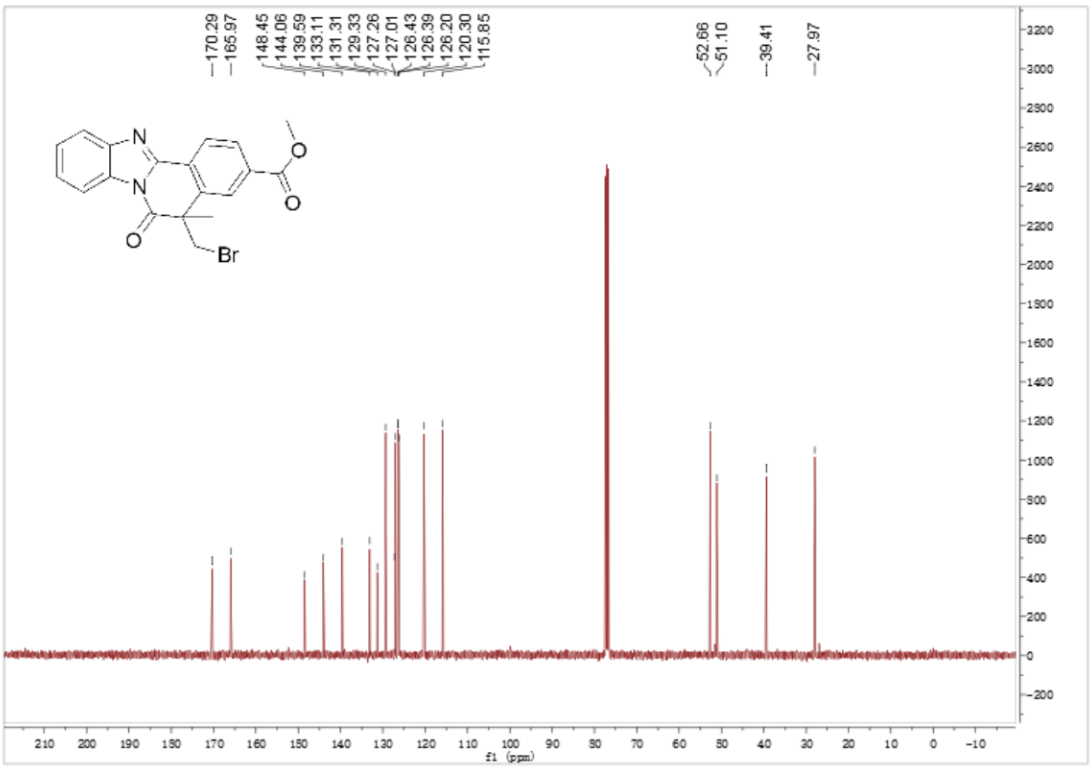
^{19}F NMR (376 MHz, $CDCl_3$) spectrum of **3k**



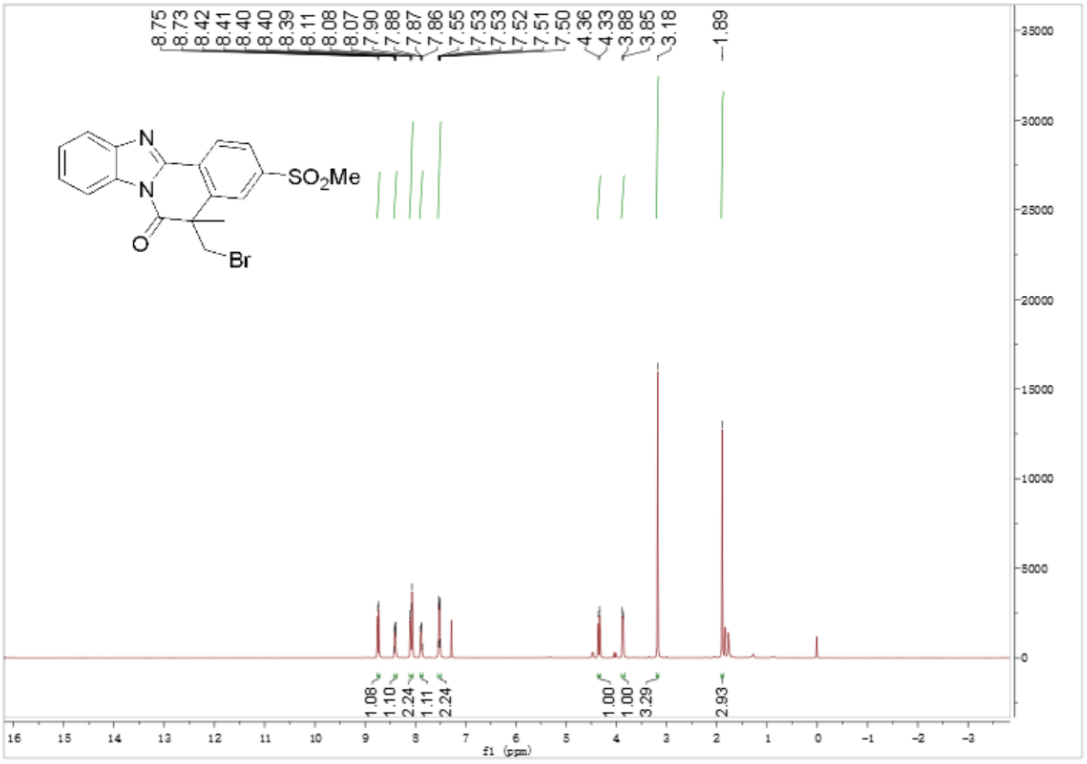
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3l**



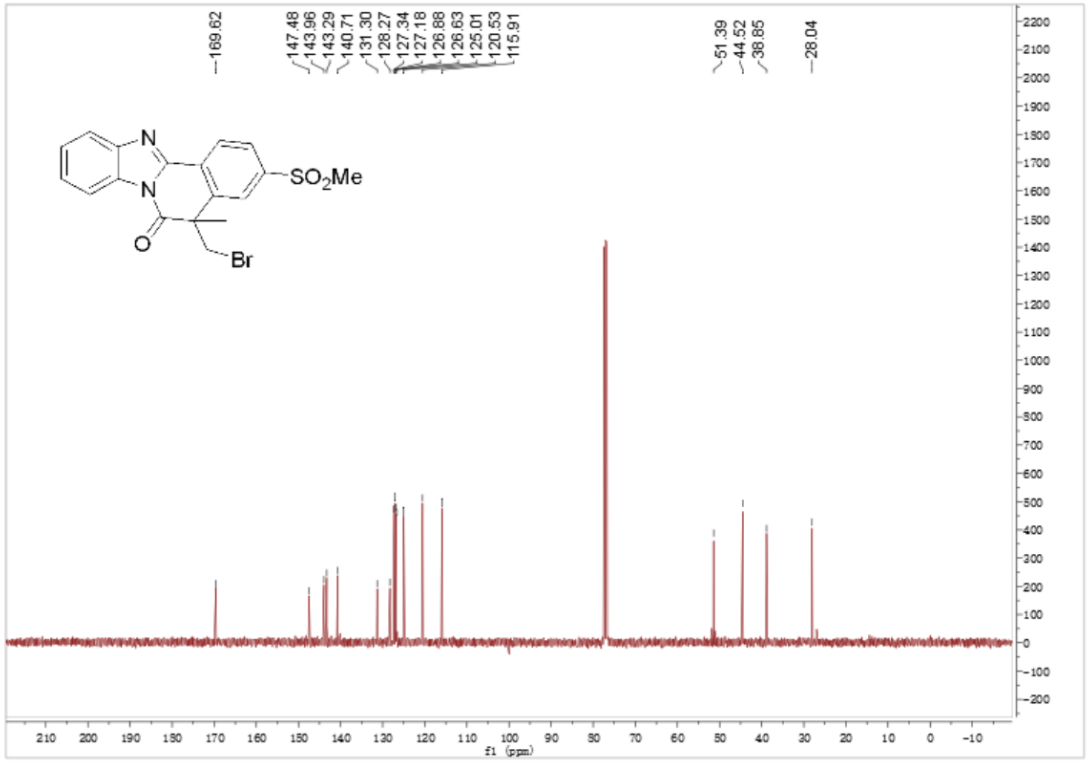
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3l**



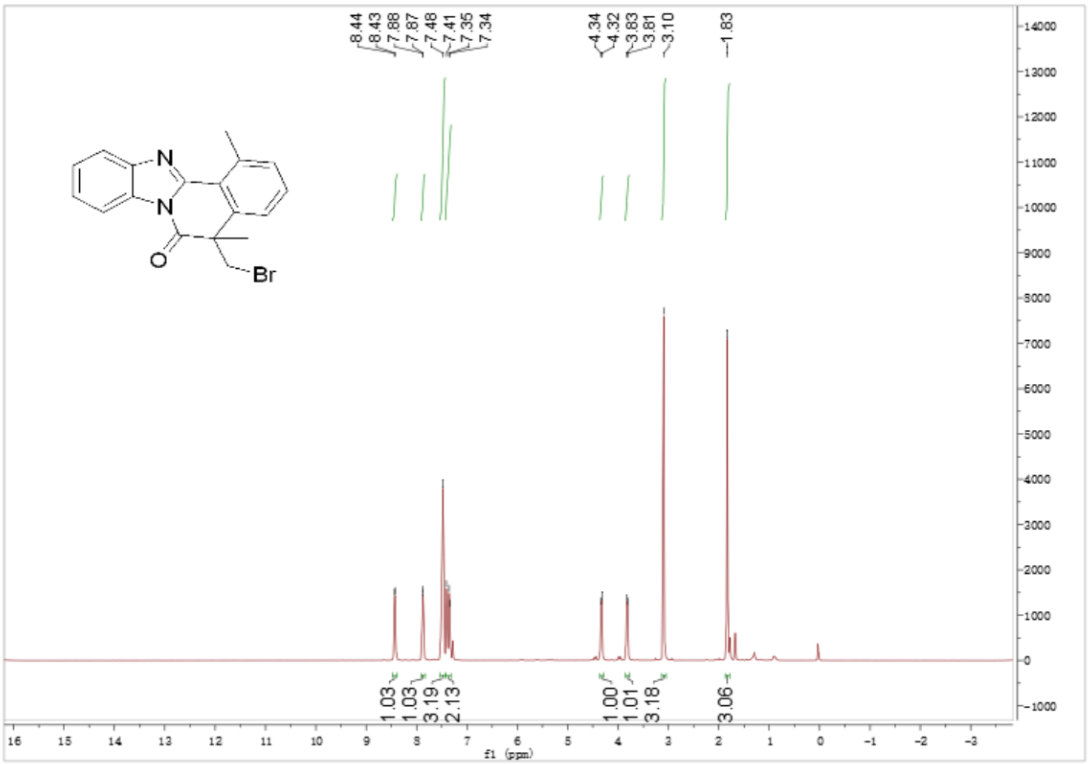
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3m**



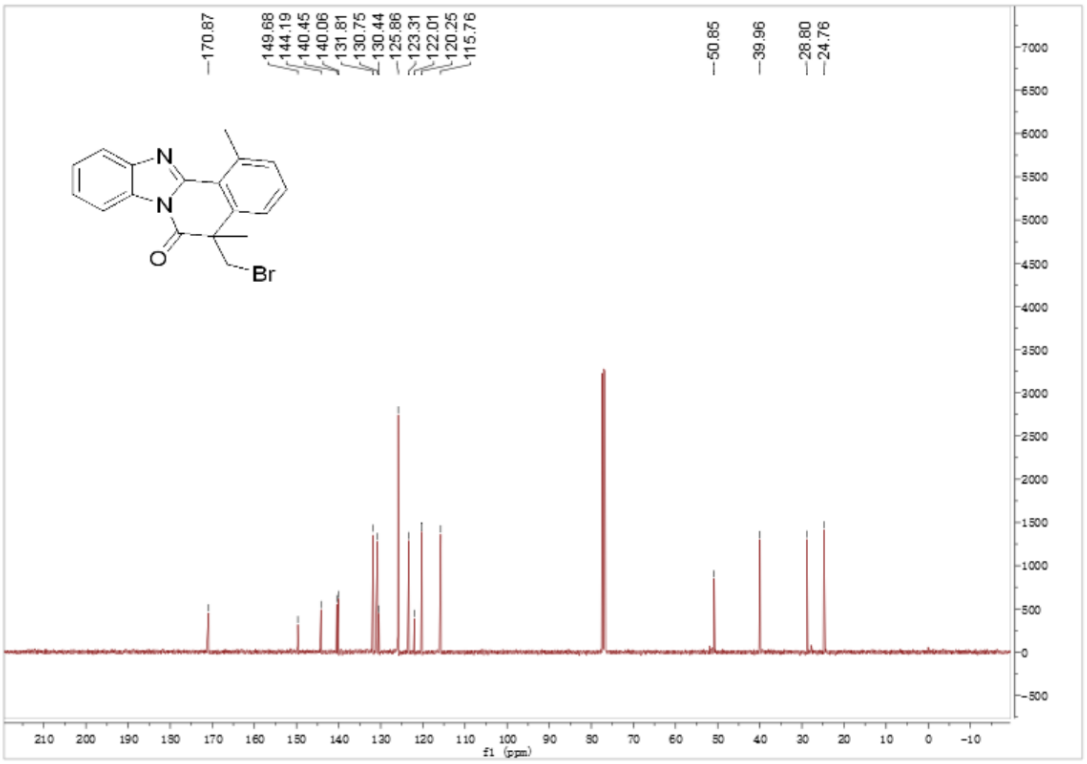
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3m**



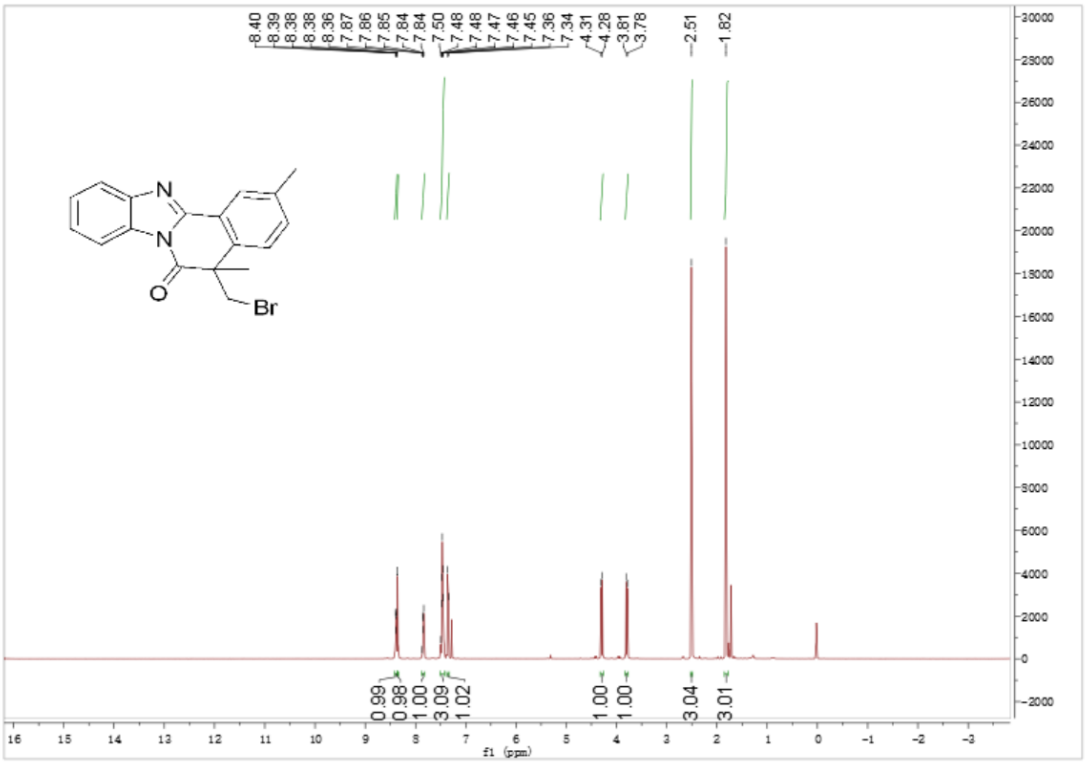
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3n**



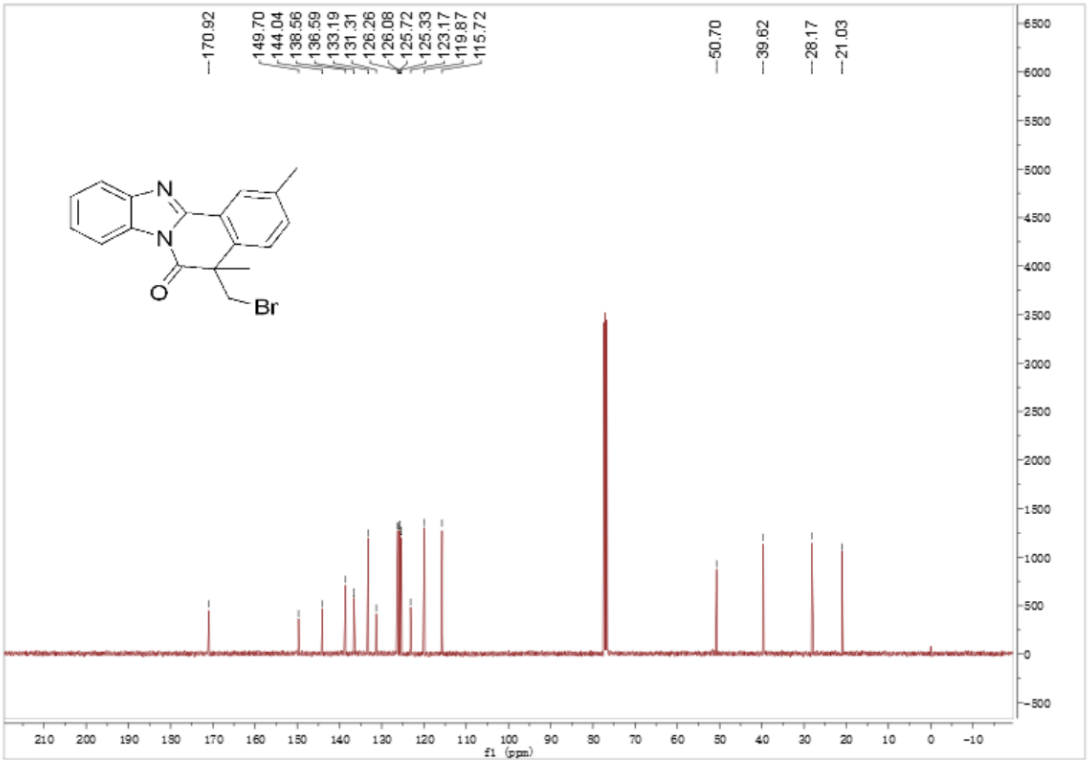
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3n**



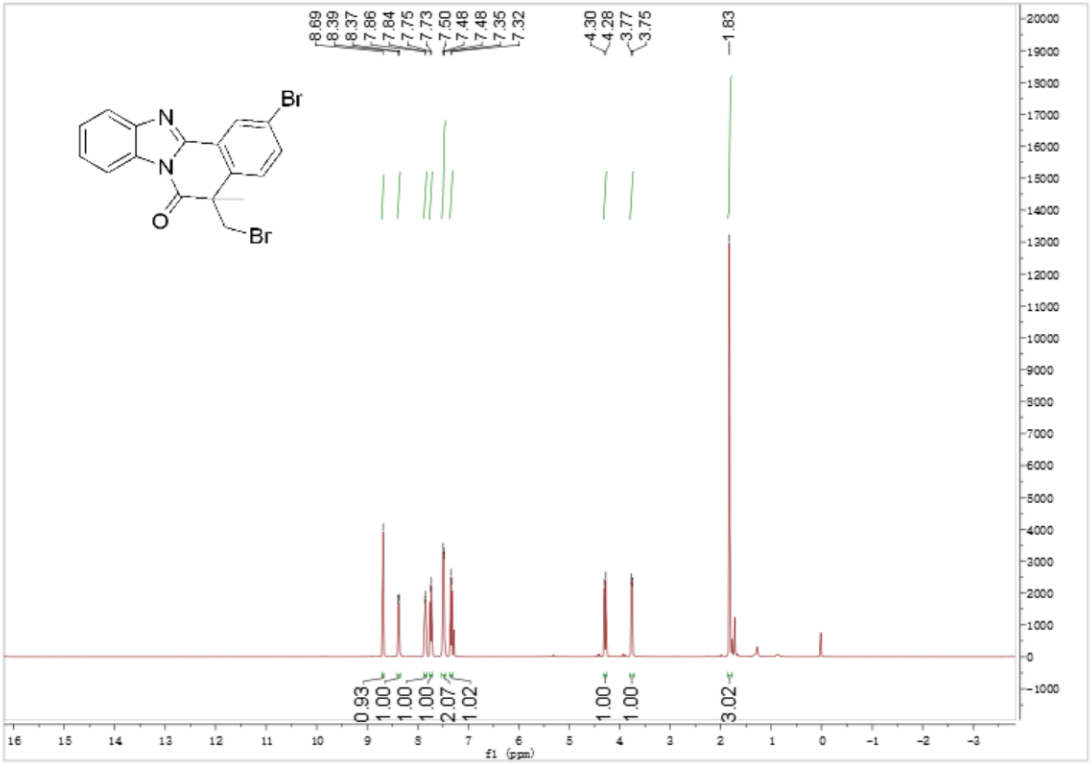
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3o**



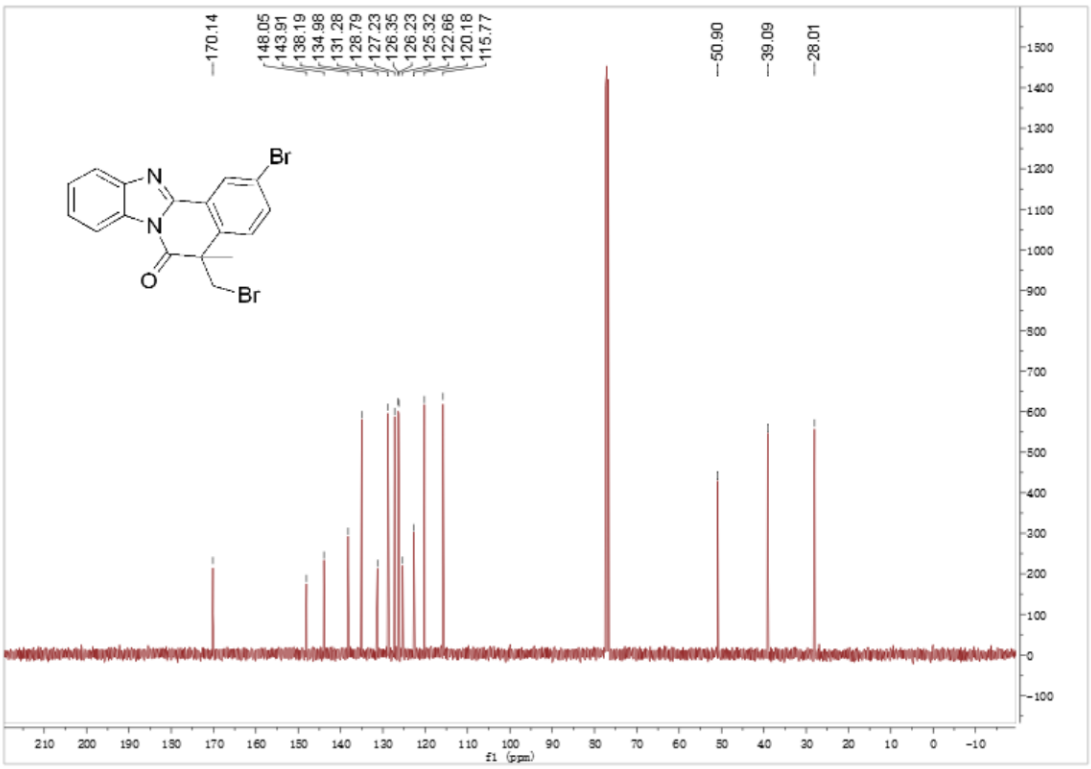
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3o**



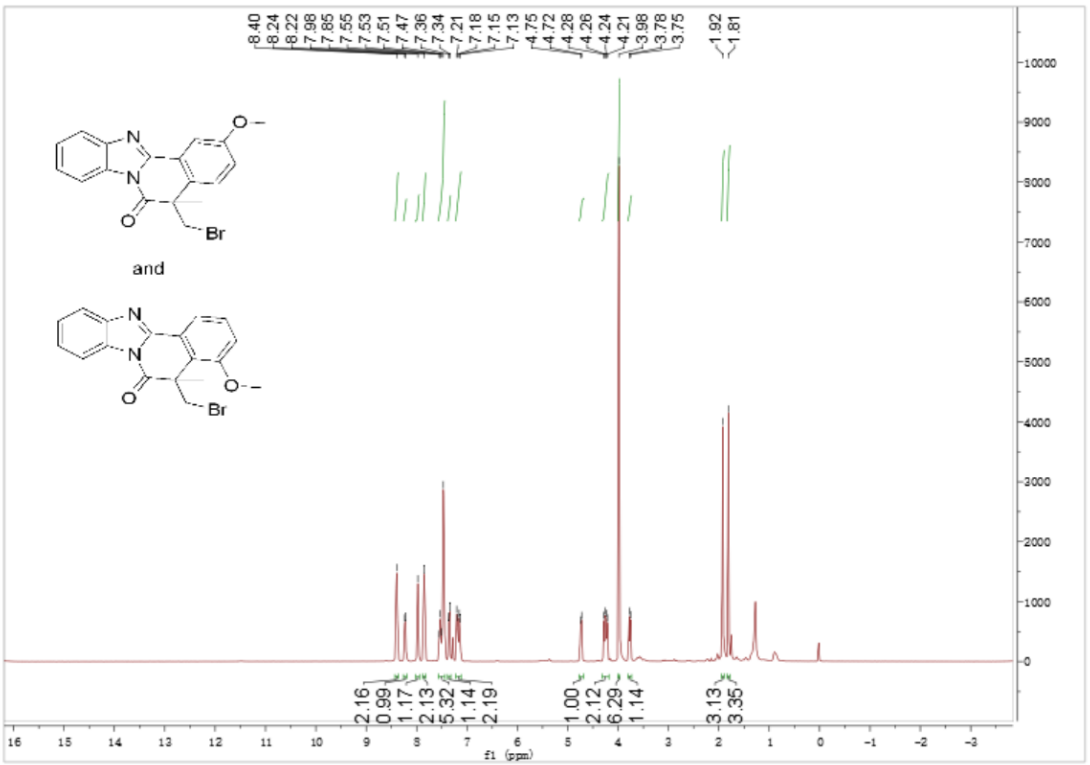
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3p**



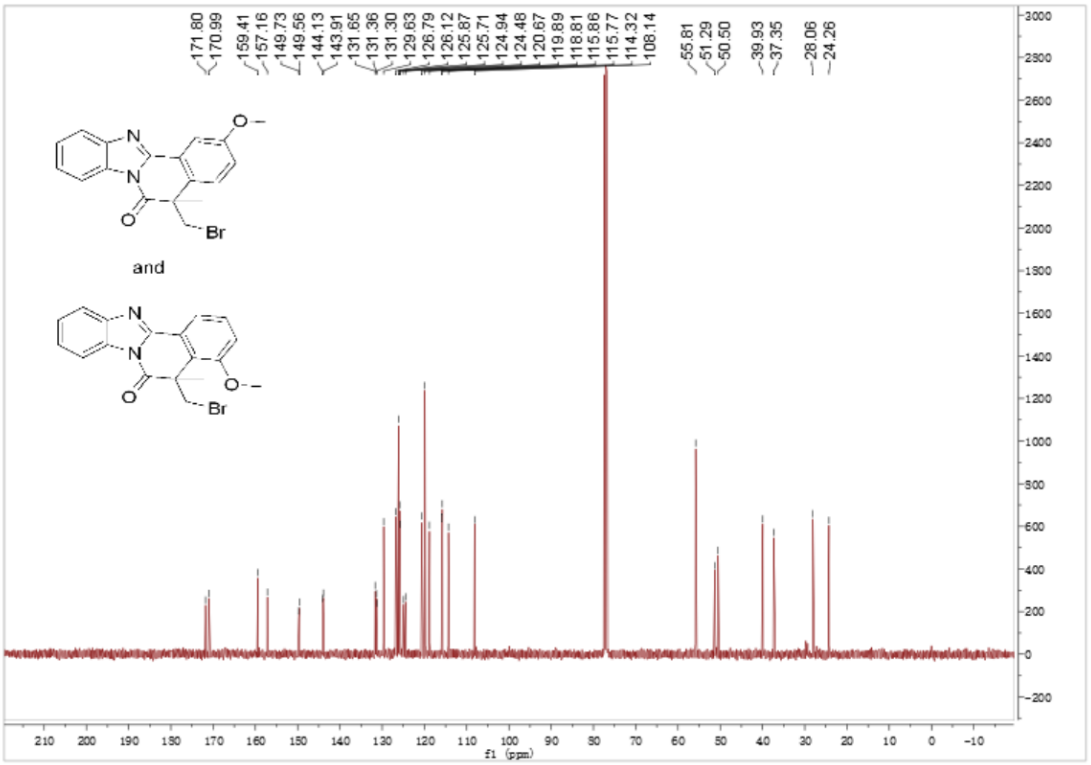
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3p**



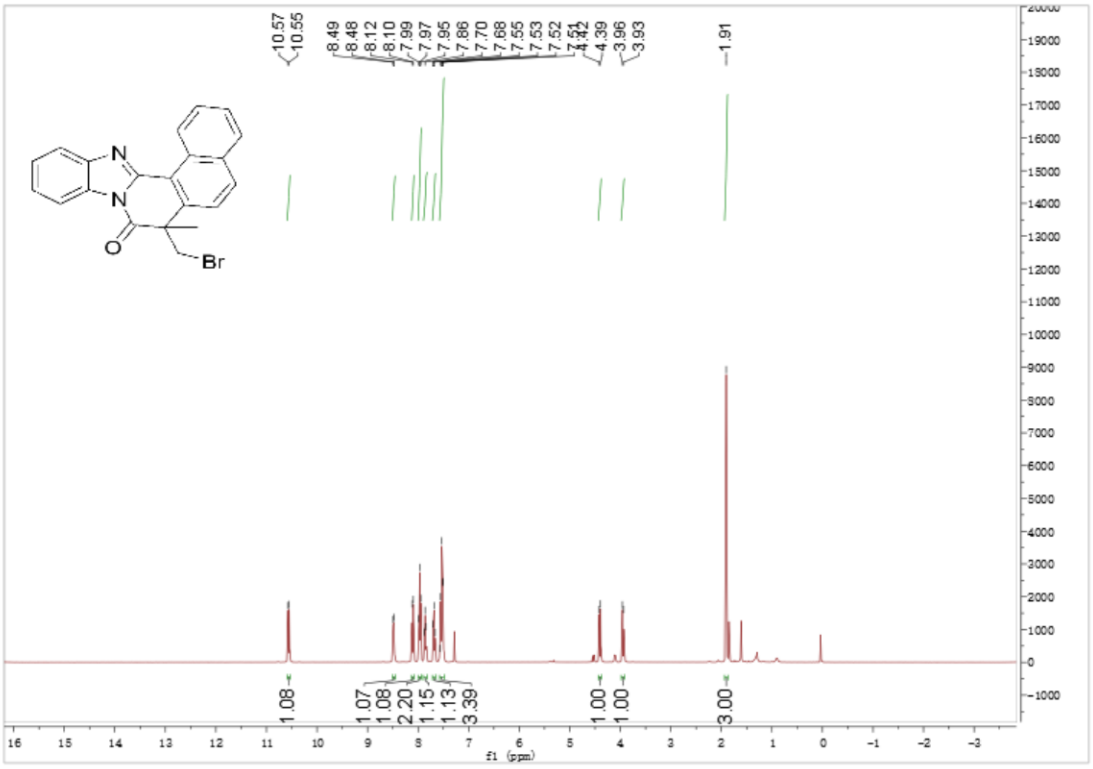
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3q**（**1:1**）



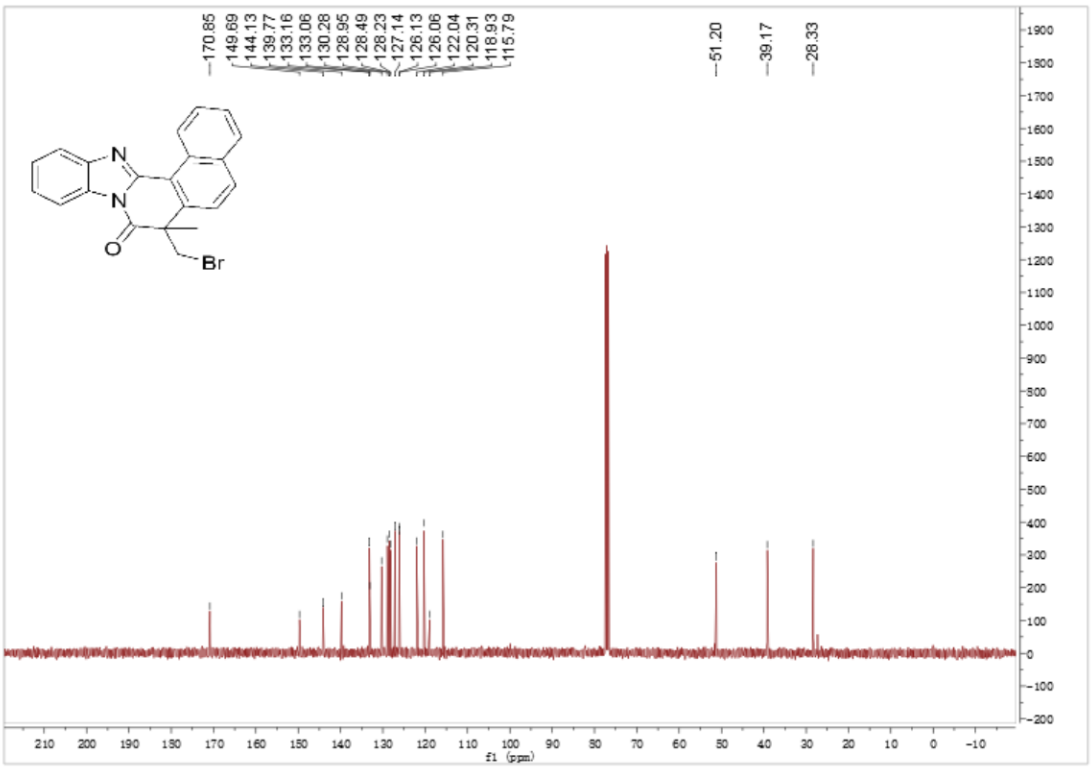
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3q（1:1）**



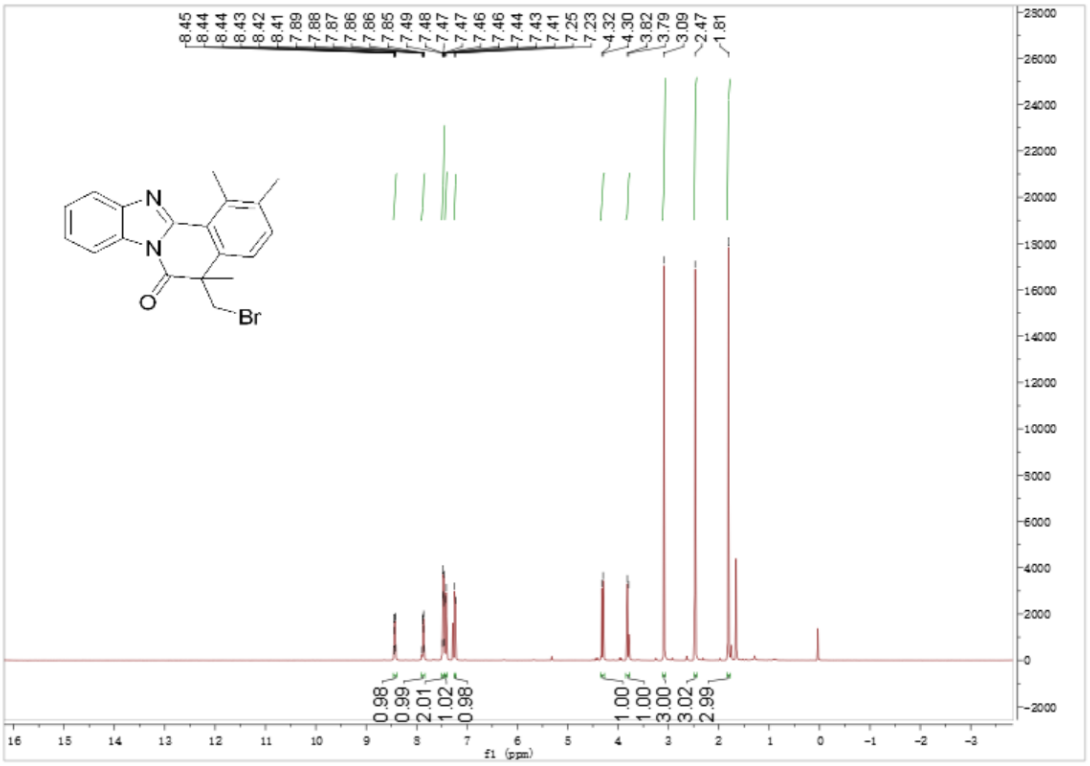
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3r**



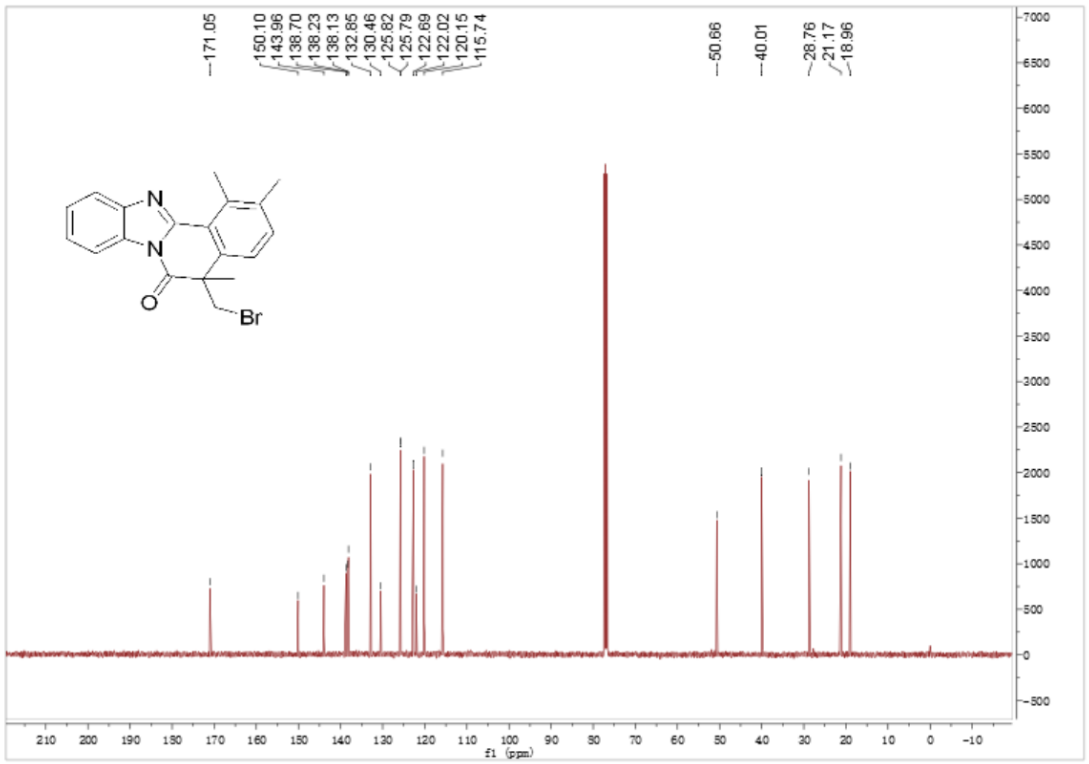
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3r**



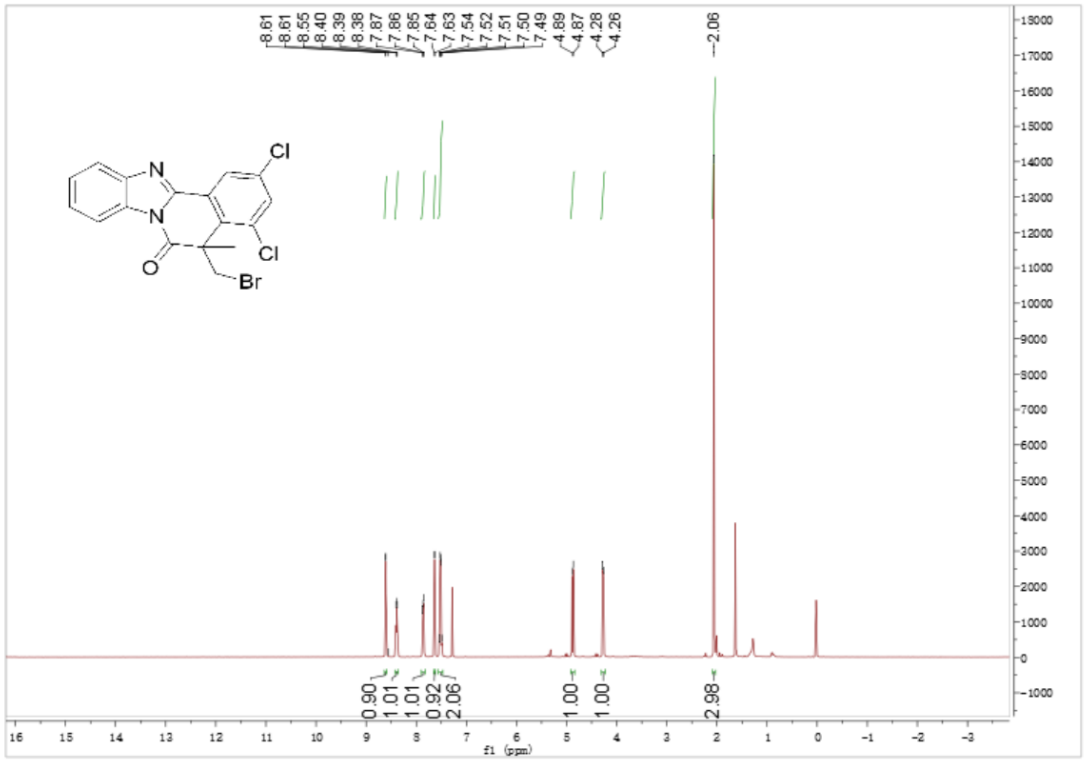
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3s**



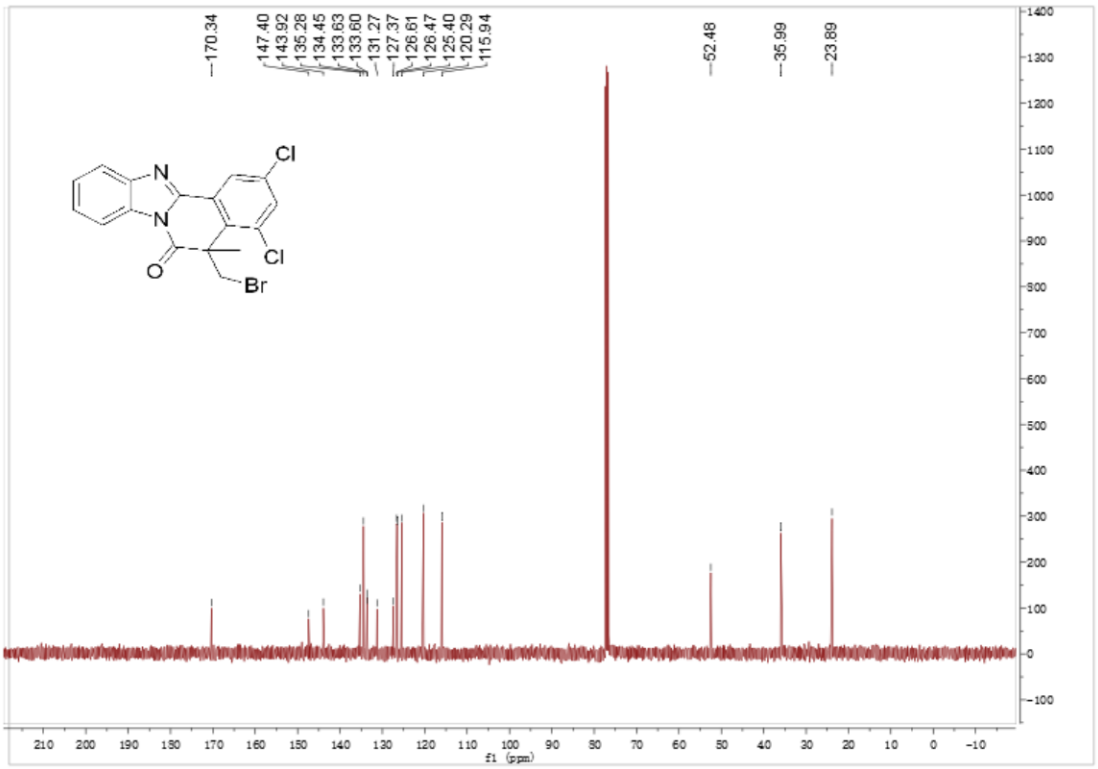
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3s**



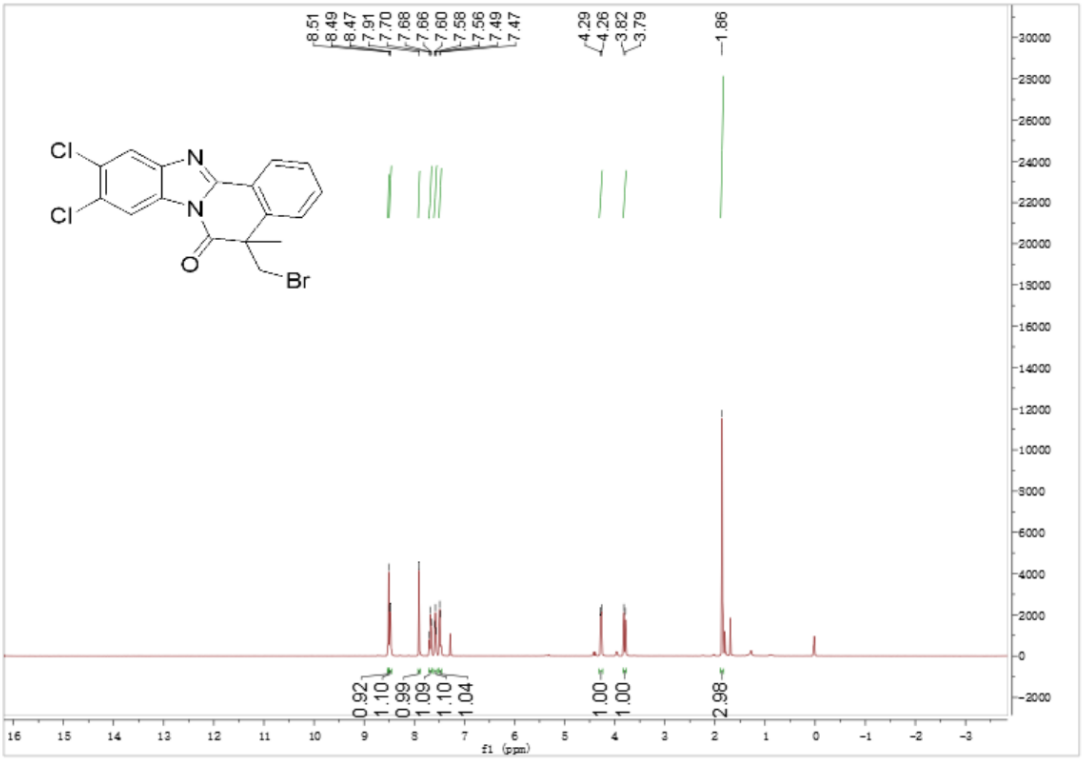
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3t**



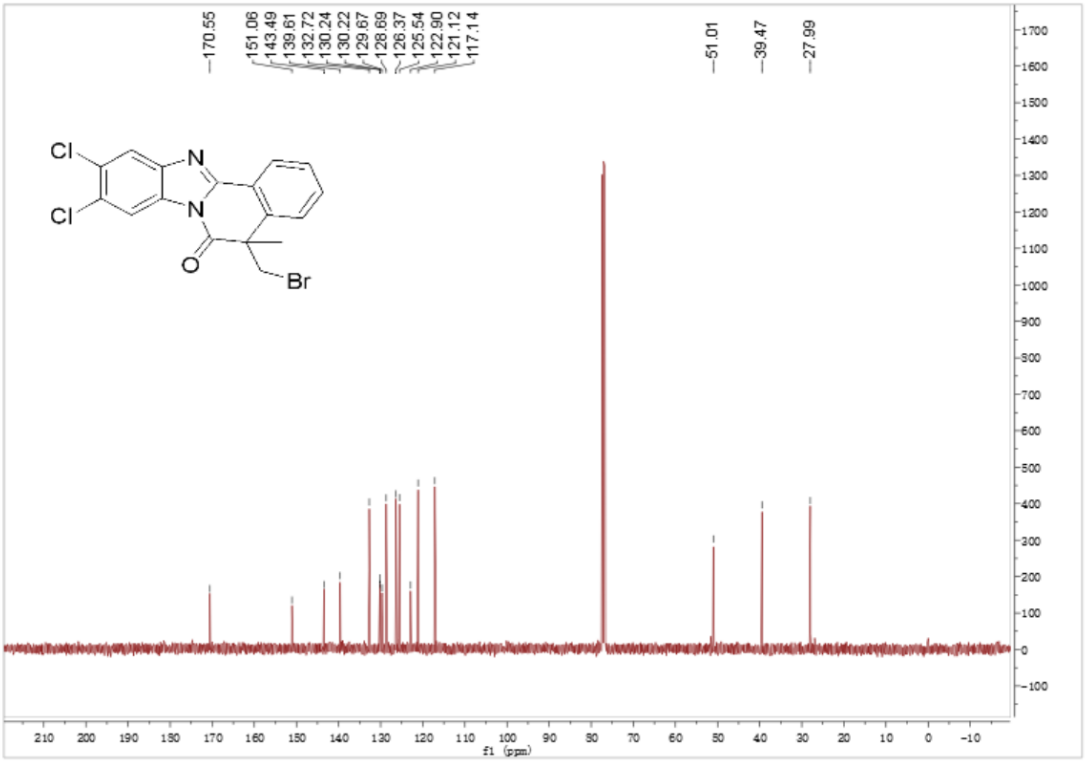
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3t**



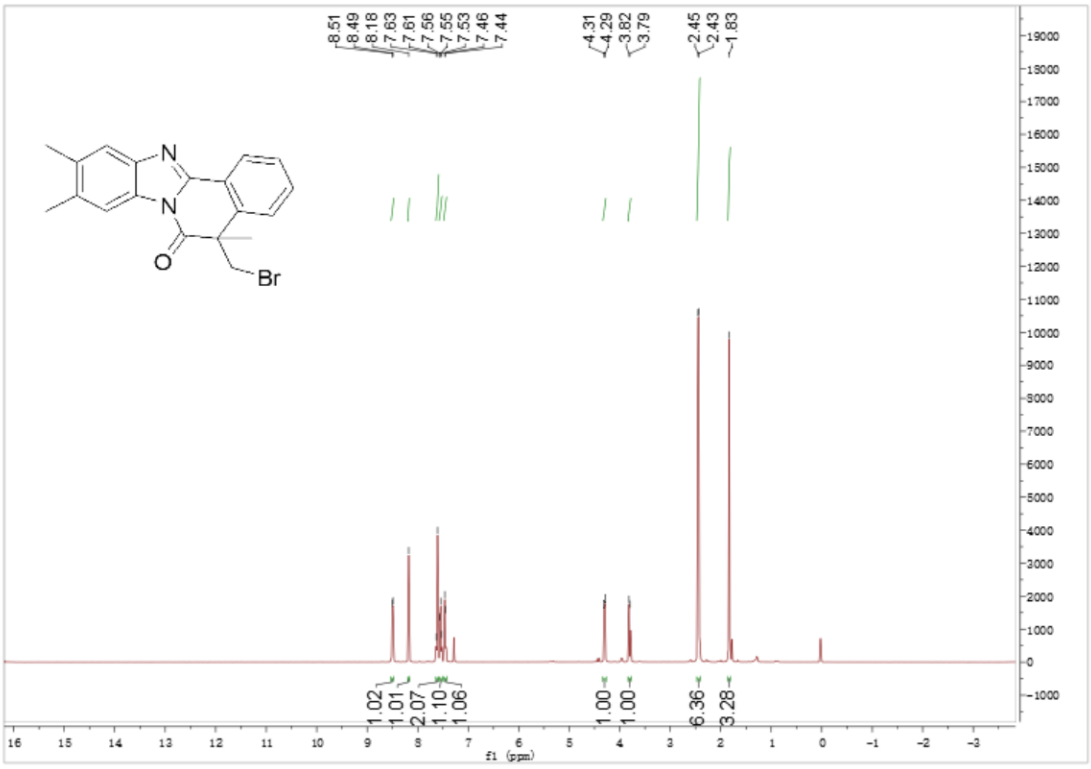
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3u**



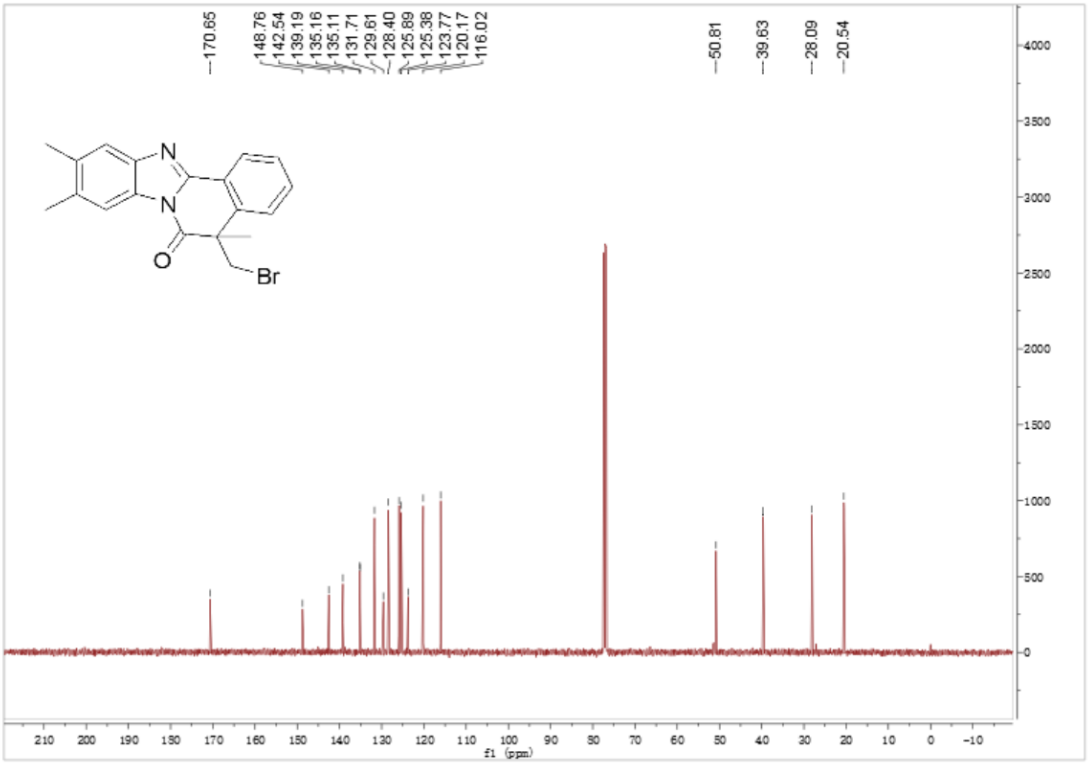
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3u**



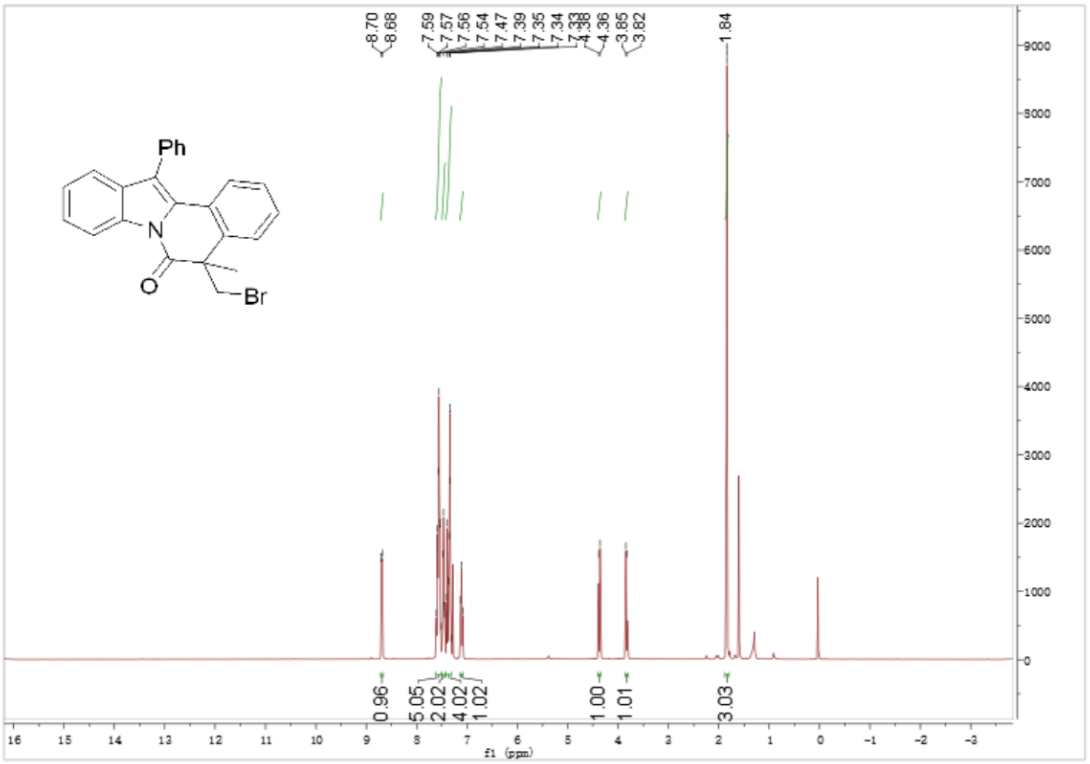
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **3v**



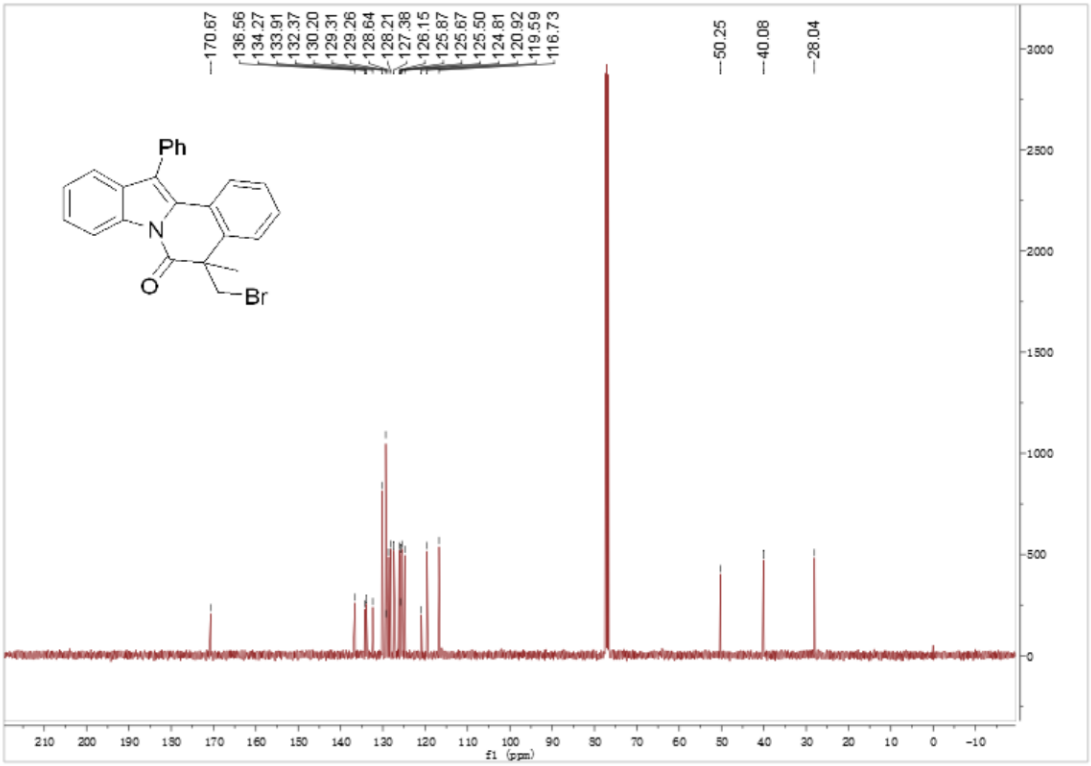
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **3v**



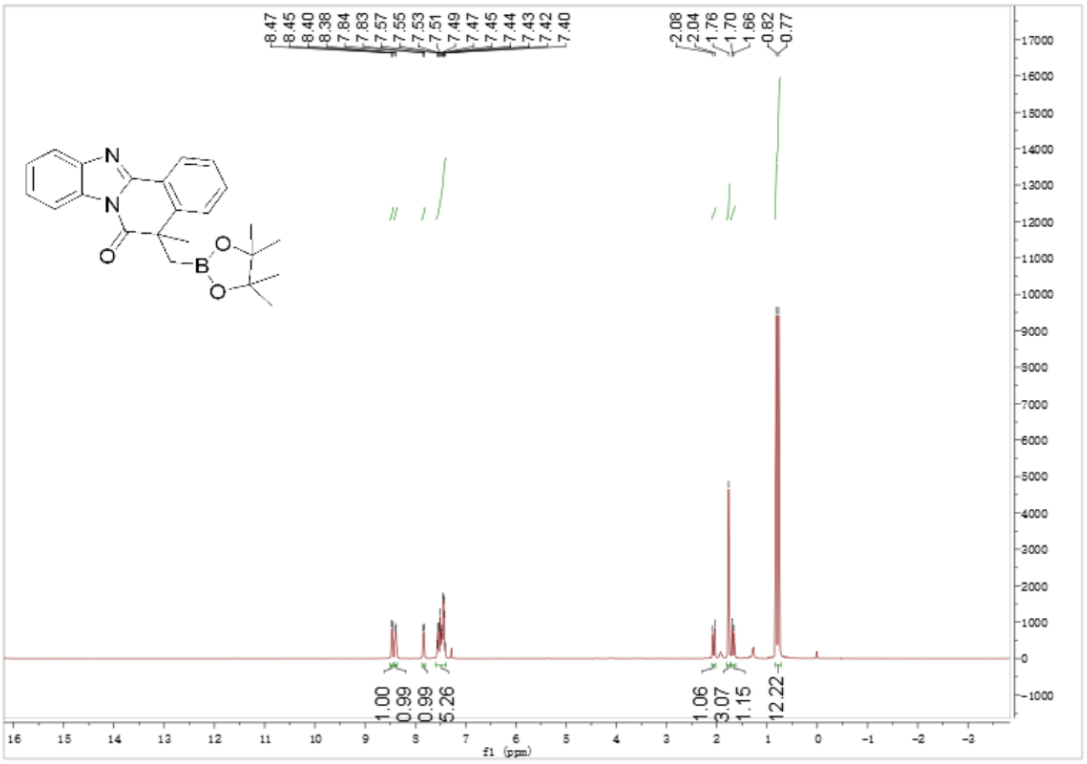
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **5**



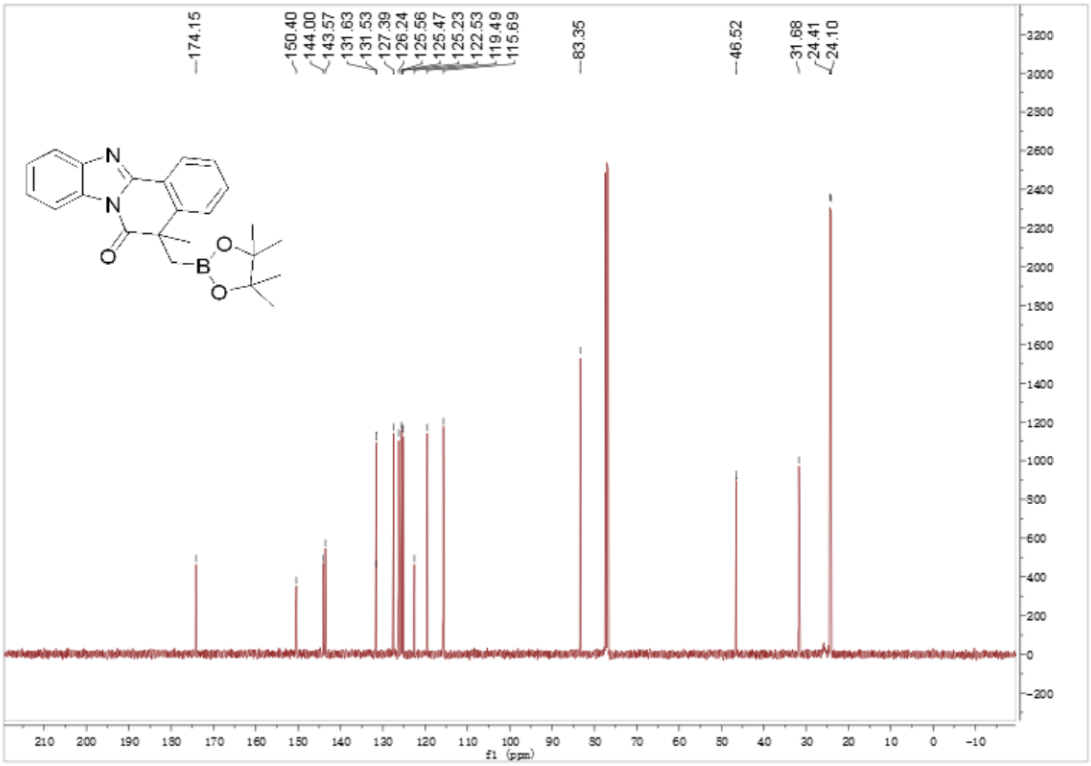
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of **5**



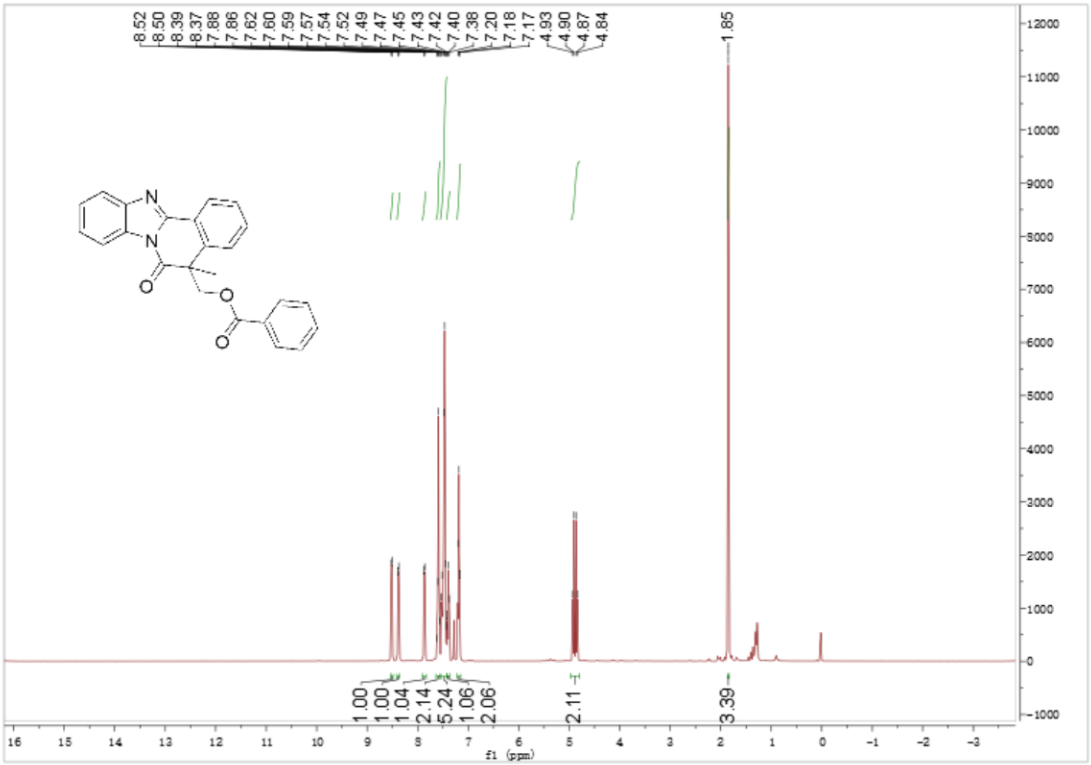
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of **6**



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



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



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