Electronic Supplementary Material (ESI) for ChemComm.


# Visible light-induced one-pot synthesis of trifluoromethyl/*gem*-difluoromethylene substituted cyclobutene derivatives

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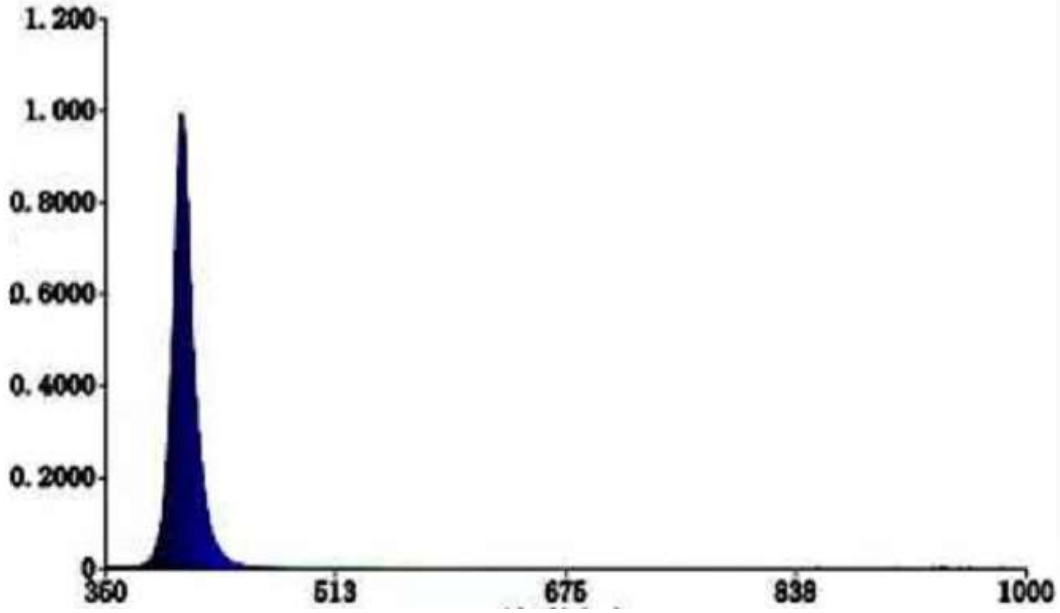
## Supporting Information

**Figure S1. Spectral distribution of irradiance density for the purple LED strip**



**X-ray Crystallographic Details**

The crystal samples were prepared by dissolving the respective compounds in ethyl acetate/petroleum ether solvent system. The solution was placed in the refrigerator, the crystals were grown by slow cooling. Data were collected on a single crystal X-ray diffractometer equipped with a CMOS detector (Bruker APEX III, κ-CMOS), an IMS microsource with MoKα radiation ($\lambda$ = 0.71073 Å) and a Helios optic using the APEX3 software package.[1] Measurements were performed on single crystals coated with perfluorinated ether. The crystals were fixed on top of a kapton micro sampler and frozen under a stream of cold nitrogen. A matrix scan was used to determine the initial lattice parameters. Reflections were corrected for Lorentz and polarisation effects, scan speed, and background using SAINT.[2] Absorption correction, including odd and even ordered spherical harmonics was performed using SADABS.[3] Space group assignment was based upon systematic absences, E statistics, and successful refinement of the structure. The structures were solved using SHELXS or SHELXT with the aid of successive difference Fourier maps, and were refined against all data using SHELXL in conjunction with SHELXLE.[4,5,6] Hydrogen atoms were calculated in ideal positions as follows: Methyl hydrogen atoms were refined as part of rigid rotating groups, with a C–H distance of 0.98 Å and $U_{iso(H)} = 1.5 \cdot U_{eq(C)}$. Other H atoms were placed in calculated positions and refined using a riding model, with methylene and aromatic C–H distances of 0.99 Å and 0.95 Å, respectively, and other C–H distances of 1.00 Å, all with $U_{iso(H)} = 1.2 \cdot U_{eq(C)}$. Non-hydrogen atoms were refined with anisotropic displacement parameters. Full-matrix least-squares refinements were carried out by minimizing $\Sigma w(Fo^2 - Fc^2)^2$ with the SHELXL weighting scheme.[4] Neutral atom scattering factors for all atoms and anomalous dispersion corrections for the non-hydrogen atoms were taken from *International Tables for Crystallography*.[7] A split layer refinement was used for disordered groups and additional SIMU, DELU, RIGU, ISOR and SAME restraints were used, if necessary. Images of the crystal structures were generated with PLATON.[8] Crystallographic data are provided free of charge by The Cambridge Crystallographic Data Centre.

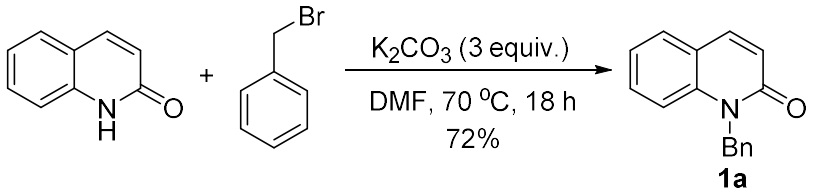
## Experimental Section

### General information

All the photo reactions were carried out using purple LED strip (height: 10 cm, diameter: 15 cm, 30 W) at a distance of 3-5 cm at rt unless stated otherwise. $^{1}H$ (400 MHz), $^{13}C$ (101 MHz), and $^{19}F$ (376 MHz) NMR spectra of samples in $CDCl_3$ were recorded on an AVANCE III 400 spectrometer. IR spectra were recorded on an Avatar 360 FT-IR spectrometer. HRMS (ESI) determinations were carried out on a Bruker Daltonics APEXIII ESI-FTICRMS spectrometer. Melting points were determined on a WRS-2 apparatus. Anhydrous DMF is commercially available from Energy®. Anhydrous DCM was distilled with $CaH_2$. Anhydrous THF was distilled with Na using benzophenone as monitor. **1m**[9], **1o**[10] and **1p**[11] were synthesized according to literature procedures.

### Typical Procedure I for the synthesis of substrates

### Synthesis of 1-benzylquinolin-2(*1H*)-one (1a)



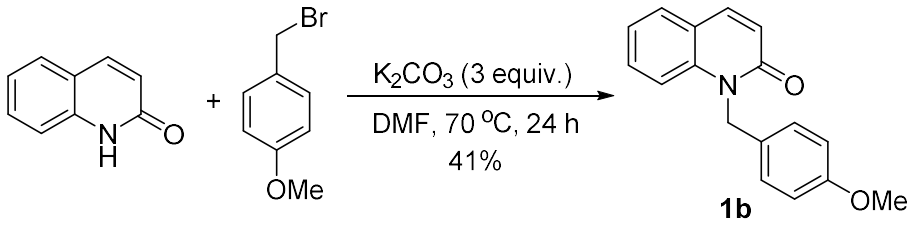

**1a**

Quinolin-2(*1H*)-one (4.356 g, 30.0 mmol), 1-(bromomethyl)benzene (4.3 mL, 36.0 mmol), $K_2CO_3$ (12.440 g, 90.0 mmol) and anhydrous DMF (30 mL) were added subsequently into a 120 mL of sealed tube. The mixture was stirred at 70 °C with an oil bath for 18 h as monitored by TLC (eluent: petroleum ether/ethyl acetate = 3:1). After completion of the reaction, the mixture was cooled, diluted with ethyl acetate. The mixture was washed with $H_2O$ (90 mL) and saturated aqueous $NH_4Cl$ (30 mL) and extracted with ethyl acetate (30 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 30:1→3:1) afforded **1a**[12] as a white solid (5.068 g, 72%). $^{1}H$ NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 9.6 Hz, 1 H), 7.56 (dd, $J$ = 7.8, 1.0 Hz, 1 H), 7.46-7.37 (m, 1 H), 7.33-7.14 (m, 7 H),

6.80 (d, $J$ = 9.6 Hz, 1 H), 5.56 (s, 2 H).

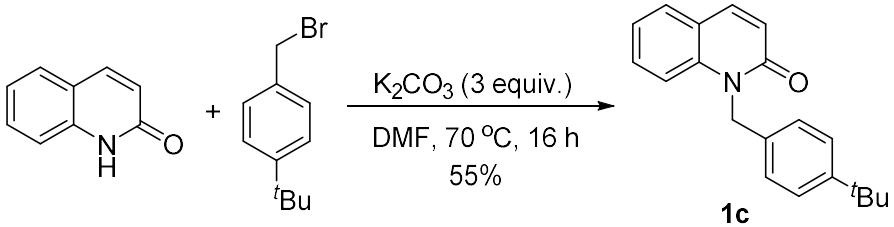
**The following compounds were synthesized according to Typical Procedure I.**

**1) 1-(4-Methoxybenzyl)quinolin-2(*1H*)-one (1b)**



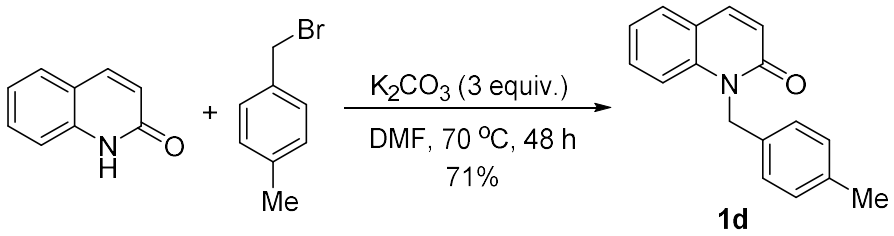

The reaction of quinolin-2(*1H*)-one (2.903 g, 20.0 mmol), 1-(bromomethyl)-4-methoxybenzene (3.7 mL, 25.4 mmol), $K_2CO_3$ (8.293 g, 60.0 mmol), and anhydrous DMF (20 mL) afforded **1b**[12] as a white solid (2.157 g, 41%). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.70 (d, $J$ = 9.2 Hz, 1 H), 7.53 (d, $J$ = 7.6 Hz, 1 H), 7.46-7.38 (m, 1 H), 7.30 (d, $J$ = 8.8 Hz, 1 H), 7.20-7.12 (m, 3 H), 6.85-6.80 (m, 2 H), 6.78 (d, $J$ = 9.6 Hz, 1 H), 5.48 (s, 2 H), 3.73 (s, 3 H).

**2) 1-(4-(*Tert*-butyl)benzyl)quinolin-2(*1H*)-one (1c)**




The reaction of quinolin-2(*1H*)-one (2.904 g, 20.0 mmol), 1-(bromomethyl)-4-*(tert*-butyl)benzene (4.4 mL, 24.0 mmol), $K_2CO_3$ (8.293 g, 60.0 mmol), and anhydrous DMF (20 mL) afforded **1c** as a white solid (3.177 g, 55%). Mp: 159.4-159.9 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.71 (d, $J$ = 9.6 Hz, 1 H), 7.53 (dd, $J$ = 8.0, 1.2 Hz, 1 H), 7.45-7.37 (m, 1 H), 7.33-7.27 (m, 3 H), 7.20-7.13 (m, 3 H), 6.79 (d, $J$ = 9.2 Hz, 1 H), 5.51 (s, 2 H), 1.26 (s, 9 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.4, 150.0, 139.5, 139.4, 133.1, 130.5, 128.7, 126.3, 125.6, 122.0, 121.6, 120.8, 115.0, 45.5, 34.3, 31.2; IR (neat) 1664, 1590, 1564, 1519, 1496, 1450, 1405 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{21}NNaO^+$ $[M+Na]^+$: 314.1515, found: 314.1507.

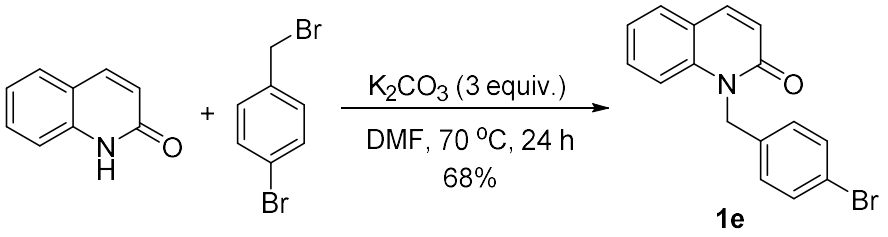
**3) 1-(4-Methylbenzyl)quinolin-2(*1H*)-one (1d)**




**1d**

The reaction of quinolin-2(*1H*)-one (2.904 g, 20.0 mmol), 1-(bromomethyl)-4-methylbenzene (4.443 g, 24.0 mmol), $K_2CO_3$ (8.295 g, 60.0 mmol), and anhydrous DMF (20 mL) afforded **1d**[12] as a white solid (3.540 g, 71%). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.71 (d, $J$ = 9.6 Hz, 1 H), 7.53 (d, $J$ = 7.6 Hz, 1 H), 7.45-7.36 (m, 1 H), 7.27 (d, $J$ = 8.4 Hz, 1 H), 7.16 (t, $J$ = 7.4 Hz, 1 H), 7.13-7.05 (m, 4 H), 6.79 (d, $J$ = 10.0 Hz, 1 H), 5.51 (s, 2 H), 2.28 (s, 3 H).

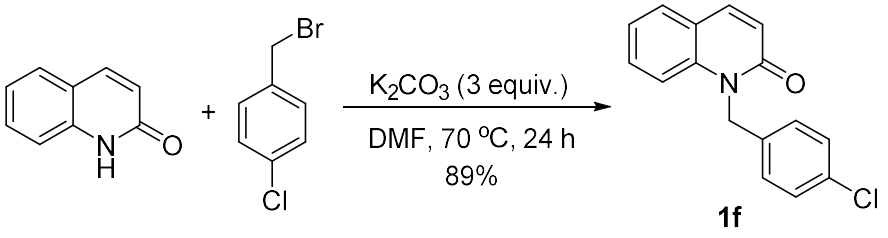
**4) 1-(4-Bromobenzyl)quinolin-2(*1H*)-one (1e)**




**1e**

The reaction of quinolin-2(*1H*)-one (1.452 g, 10.0 mmol), 1-(bromomethyl)-4-bromobenzene (3.000 g, 12.0 mmol), $K_2CO_3$ (4.147 g, 30.0 mmol), and anhydrous DMF (10 mL) afforded **1e** as a white solid (2.129 g, 68%). Mp: 154.3-154.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 9.2 Hz, 1 H), 7.57 (dd, $J$ = 7.8, 1.4 Hz, 1 H), 7.47-7.38 (m, 3 H), 7.23-7.16 (m, 2 H), 7.10 (d, $J$ = 8.4 Hz, 2 H), 6.79 (d, $J$ = 9.6 Hz, 1 H), 5.50 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.3, 139.7, 139.2, 135.4, 131.9, 130.7, 128.9, 128.4, 122.3, 121.6, 121.1, 120.9, 114.7, 45.3; IR (neat) 1651, 1589, 1564, 1489, 1451, 1401 cm$^{-1}$; HRMS (ESI): calcd for $C_{16}H_{12}BrNNaO^+$ $[M+Na]^+$: 335.9994, found: 335.9995.

**5) 1-(4-Chlorobenzyl)quinolin-2(*1H*)-one (1f)**

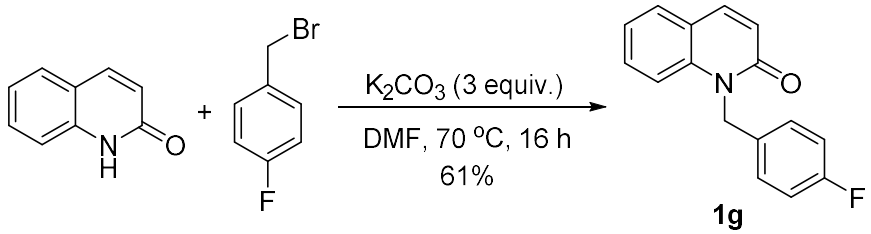



**1f**

The reaction of quinolin-2(*1H*)-one (1.453 g, 10.0 mmol), 1-(bromomethyl)-4-

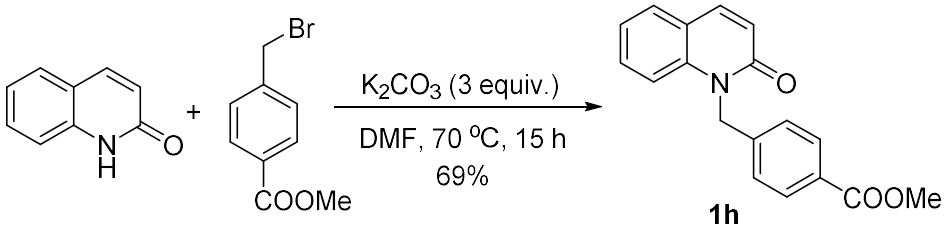
chlorobenzene (2.467 g, 12.0 mmol), $K_2CO_3$ (4.146 g, 30.0 mmol), and anhydrous DMF (10 mL) afforded **1f** as a white solid (2.393 g, 89%). Mp: 148.8-149.1 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 9.6 Hz, 1 H), 7.57 (dd, $J$ = 8.2, 1.4 Hz, 1 H), 7.47-7.39 (m, 1 H), 7.29-7.23 (m, 2 H), 7.21 (d, $J$ = 7.2 Hz, 2 H), 7.16 (d, $J$ = 8.8 Hz, 2 H), 6.79 (d, $J$ = 9.6 Hz, 1 H), 5.52 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.4, 139.7, 139.2, 134.9, 133.1, 130.7, 128.9, 128.0, 122.3, 121.6, 120.9, 114.7, 45.3; IR (neat) 1652, 1590, 1565, 1492, 1452, 1402 cm$^{-1}$; HRMS (ESI): calcd for $C_{16}H_{12}ClNNaO^+$ $[M+Na]^+$: 292.0500, found: 292.0505.

**6) 1-(4-Fluorobenzyl)quinolin-2(*1H*)-one (1g)**




The reaction of quinolin-2(*1H*)-one (2.903 g, 20.0 mmol), 1-(bromomethyl)-4-fluorobenzene (3.0 mL, 24.1 mmol), $K_2CO_3$ (8.295 g, 60.0 mmol), and anhydrous DMF (20 mL) afforded **1g** as a white solid (3.081 g, 61%). Mp: 183.1-183.3 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 9.6 Hz, 1 H), 7.57 (dd, $J$ = 7.6, 1.2 Hz, 1 H), 7.44 (ddd, $J$ = 8.6, 7.4, 1.4 Hz, 1 H), 7.24 (d, $J$ = 8.4 Hz, 1 H), 7.23-7.16 (m, 3 H), 7.03-6.93 (m, 2 H), 6.80 (d, $J$ = 9.2 Hz, 1 H), 5.52 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.4, 162.0 (C-F, $^1J_{C\text{-}F}$ = 246.3 Hz), 139.6, 139.3, 132.0 (C-F, $^4J_{C\text{-}F}$ = 3.5 Hz), 130.6, 128.9, 128.3 (C-F, $^3J_{C\text{-}F}$ = 8.2 Hz), 122.3, 121.6, 120.9, 115.7 (C-F, $^2J_{C\text{-}F}$ = 21.6 Hz), 114.8, 45.2; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -115.2 (ddd, $J$ = 13.5, 8.5, 5.1 Hz); IR (neat) 1651, 1591, 1565, 1510, 1454, 1403 cm$^{-1}$; HRMS (ESI): calcd for $C_{16}H_{12}FNNaO^+$ $[M+Na]^+$: 276.0795, found: 276.0800.

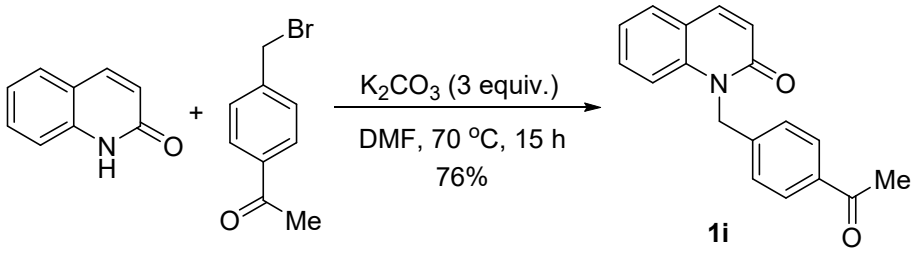
**7) 1-(4-Methoxycarbonylbenzyl)quinolin-2(*1H*)-one (1h)**




The reaction of quinolin-2(*1H*)-one (4.355 g, 30.0 mmol), 1-(bromomethyl)-4-

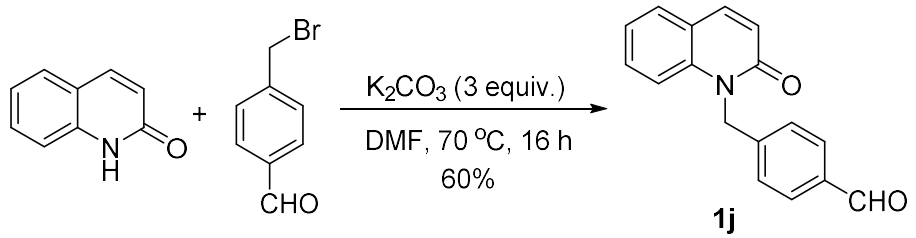
methoxycarbonylbenzene (8.246 g, 36.0 mmol), $K_2CO_3$ (12.435 g, 90.0 mmol), and anhydrous DMF (30 mL) afforded **1h** as a solid (6.072 g, 69%). Mp: 134.7-134.8 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.97 (d, $J$ = 8.0 Hz, 2 H), 7.76 (d, $J$ = 9.6 Hz, 1 H), 7.58 (dd, $J$ = 7.8, 1.0 Hz, 1 H), 7.45-7.37 (m, 1 H), 7.28 (d, $J$ = 7.6 Hz, 2 H), 7.23-7.13 (m, 2 H), 6.81 (d, $J$ = 9.2 Hz, 1 H), 5.61 (s, 2 H), 3.88 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 166.6, 162.3, 141.6, 139.7, 139.2, 130.7, 130.1, 129.2, 128.9, 126.5, 122.3, 121.5, 120.9, 114.7, 52.0, 45.7; IR (neat) 1720, 1653, 1613, 1590, 1565, 1452, 1435, 1403 cm$^{-1}$; HRMS (ESI): calcd for $C_{18}H_{16}NO_3^+$ $[M+H]^+$: 294.1125, found: 294.1130.

**8) 1-(4-Acetylbenzyl)quinolin-2(*1H*)-one (1i)**



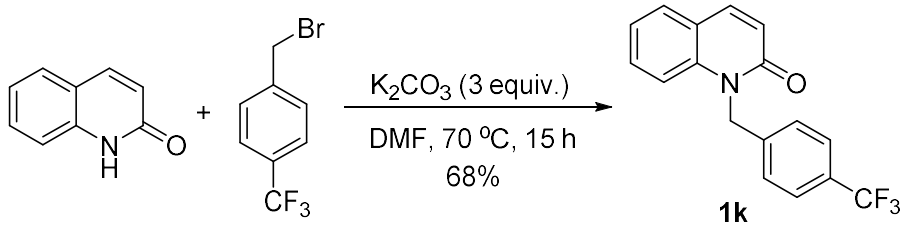

The reaction of quinolin-2(*1H*)-one (1.455 g, 10.0 mmol), 1-(bromomethyl)-4-acetylbenzene (2.560 g, 12.0 mmol), $K_2CO_3$ (4.146 g, 30.0 mmol), and anhydrous DMF (10 mL) afforded **1i** as a solid (2.109 g, 76%). Mp: 157.1-157.3 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.88 (d, $J$ = 8.4 Hz, 2 H), 7.76 (d, $J$ = 9.6 Hz, 1 H), 7.58 (dd, $J$ = 8.0, 1.2 Hz, 1 H), 7.46-7.37 (m, 1 H), 7.30 (d, $J$ = 8.8 Hz, 2 H), 7.23-7.14 (m, 2 H), 6.80 (d, $J$ = 9.2 Hz, 1 H), 5.60 (s, 2 H), 2.54 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 197.4, 162.2, 141.7, 139.7, 139.1, 136.1, 130.6, 128.9, 128.7, 126.6, 122.3, 121.4, 120.8, 114.6, 45.6, 26.4; IR (neat) 1684, 1658, 1615, 1590, 1499, 1453, 1408 cm$^{-1}$; HRMS (ESI): calcd for $C_{18}H_{16}NO_2^+$ $[M+H]^+$: 278.1176, found: 278.1177.

**9) 1-(4-Formylbenzyl)quinolin-2(*1H*)-one (1j)**



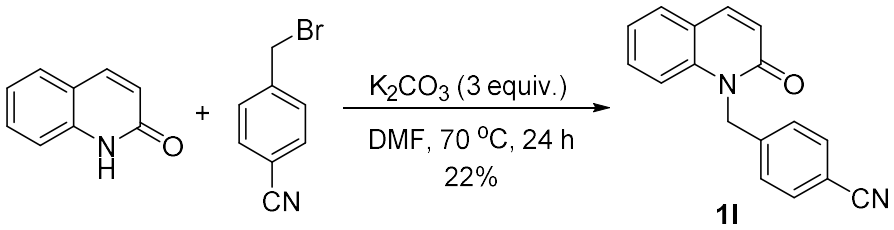
The reaction of quinolin-2(*1H*)-one (725 mg, 5.0 mmol), 1-(bromomethyl)-4-formylbenzene (1.195 g, 6.0 mmol), $K_2CO_3$ (2.072 g, 15.0 mmol), and anhydrous DMF (5 mL) afforded **1j** as a white solid (789 mg, 60%). Mp: 96.7-97.3 °C (petroleum ether/ethylacetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 9.96 (s, 1 H), 7.82 (d, $J$ = 8.0 Hz, 2 H), 7.78 (d, $J$ = 9.6 Hz, 1 H), 7.60 (d, $J$ = 7.2 Hz, 1 H), 7.48-7.40 (m, 1 H), 7.37 (d, $J$ = 8.0 Hz, 2 H), 7.22 (t, $J$ = 7.4 Hz, 1 H), 7.16 (d, $J$ = 8.4 Hz, 1 H), 6.82 (d, $J$ = 9.6 Hz, 1 H), 5.64 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 191.6, 162.4, 143.4, 139.9, 139.2, 135.6, 130.8, 130.3, 129.1, 127.1, 122.5, 121.5, 121.0, 114.6, 45.8; IR (neat) 1697, 1651, 1608, 1590, 1565, 1496, 1452, 1403 cm$^{-1}$; HRMS (ESI): calcd for $C_{17}H_{13}NNaO_2^+$ $[M+Na]^+$: 286.0838, found: 286.0836.

**10) 1-(4-Trifluoromethylbenzyl)quinolin-2(*1H*)-one (1k)**




The reaction of quinolin-2(*1H*)-one (1.451 g, 10.0 mmol), 1-(bromomethyl)-4-trifluoromethylbenzene (2.870 g, 12.0 mmol), $K_2CO_3$ (4.246 g, 30.7 mmol), and anhydrous DMF (10 mL) afforded **1k** as a white solid (2.074 g, 68%). Mp: 119.8-120.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.75 (d, $J$ = 9.6 Hz, 1 H), 7.57 (dd, $J$ = 8.0, 1.2 Hz, 1 H), 7.54 (d, $J$ = 8.0 Hz, 2 H), 7.46-7.38 (m, 1 H), 7.33 (d, $J$ = 8.0 Hz, 2 H), 7.23-7.14 (m, 2 H), 6.80 (d, $J$ = 9.6 Hz, 1 H), 5.60 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.2, 140.4, 139.7, 139.1, 130.7, 129.5 (q, $J$ = 32.5 Hz), 128.9, 126.8, 125.6 (q, $J$ = 3.7 Hz), 123.9 (q, $J$ = 273.3 Hz), 122.3, 121.4, 120.8, 114.5, 45.4; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -62.5; IR (neat) 1652, 1590, 1564, 1496, 1459, 1419, 1402 cm$^{-1}$; HRMS (ESI): calcd for $C_{17}H_{13}F_3NO^+$ $[M+H]^+$: 304.0944, found: 304.0937.

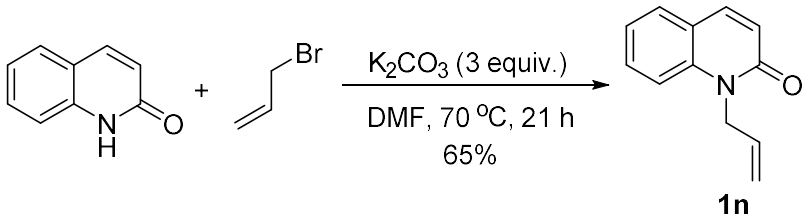
**11) 1-(4-Cyanobenzyl)quinolin-2(*1H*)-one (1l)**




**1l**

The reaction of quinolin-2(*1H*)-one (2.903 g, 20.0 mmol), 1-(bromomethyl)-4-cyanobenzene (4.705 g, 24.0 mmol), $K_2CO_3$ (8.294 g, 60.0 mmol), and anhydrous DMF (20 mL) afforded **1l**[13] as a solid (1.146 g, 22%). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.78 (d, $J$ = 9.6 Hz, 1 H), 7.63-7.56 (m, 3 H), 7.49-7.41 (m, 1 H), 7.32 (d, $J$ = 8.4 Hz, 2 H), 7.23 (t, $J$ = 7.4 Hz, 1 H), 7.12 (d, $J$ = 8.8 Hz, 1 H), 6.80 (d, $J$ = 9.2 Hz, 1 H), 5.60 (s, 2 H).

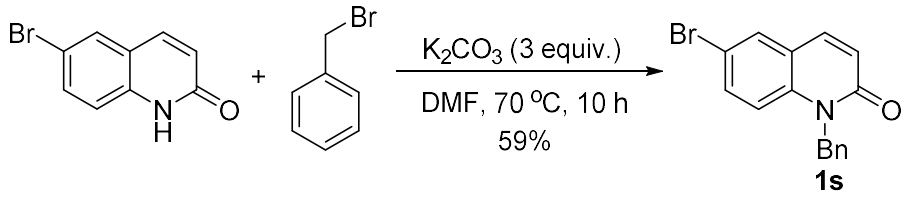
**12) 1-Allylquinolin-2(*1H*)-one (1n)**




**1n**

The reaction of quinolin-2(*1H*)-one (1.453 g, 10.0 mmol), 2-bromo-1-propene (2.6 mL, 30.0 mmol), $K_2CO_3$ (4.143 g, 30.0 mmol), and anhydrous DMF (10 mL) afforded **1n**[14] as an oil (1.204 g, 65%). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.69 (d, $J$ = 9.6 Hz, 1 H), 7.59-7.48 (m, 2 H), 7.32 (d, $J$ = 8.4 Hz, 1 H), 7.25-7.17 (m, 1 H), 6.73 (d, $J$ = 9.2 Hz, 1 H), 6.02-5.89 (m, 1 H), 5.21 (dm, $J$ = 11.2 Hz, 1 H), 5.09 (dm, $J$ = 18.4 Hz, 1 H), 4.95 (dt, $J$ = 4.7, 1.7 Hz, 2 H).

**13) 1-Benzyl-6-bromoquinolin-2(*1H*)-one (1s)**

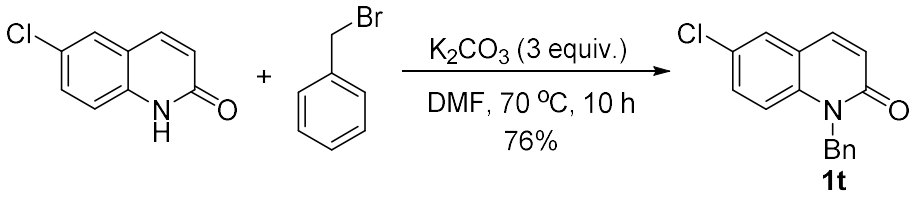



**1s**

The reaction of 6-bromoquinolin-2(*1H*)-one (4.490 g, 20.0 mmol), 1-(bromomethyl) benzene (2.9 mL, 24.4 mmol), $K_2CO_3$ (8.293 g, 60.0 mmol), and anhydrous DMF (20 mL) afforded **1s**[12] as a solid (3.737 g, 59%). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.65 (d, $J$ = 2.4 Hz, 1 H), 7.61 (d, $J$ = 9.6 Hz, 1 H), 7.44 (dd, $J$ = 9.0, 2.2 Hz, 1 H), 7.32-7.26 (m, 2 H), 7.25-7.15 (m, 3 H), 7.10 (d, $J$ = 9.2 Hz, 1 H), 6.80 (d, $J$ = 9.6 Hz, 1 H), 5.51 (s, 2

H).

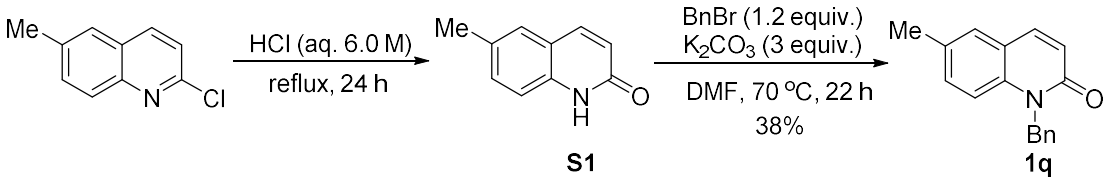
**14) 1-Benzyl-6-chloroquinolin-2(*1H*)-one (1t)**




**1t**

The reaction of 6-chloroquinolin-2(*1H*)-one (899 mg, 5.0 mmol), 1-(bromomethyl) benzene (0.7 mL, 5.9 mmol), $K_2CO_3$ (2.074 g, 15.0 mmol), and anhydrous DMF (5 mL) afforded **1t** as a white solid (1.025 g, 76%). Mp: 123.4-123.7 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.64 (d, $J$ = 9.6 Hz, 1 H), 7.51 (d, $J$ = 2.4 Hz, 1 H), 7.33 (dd, $J$ = 8.8, 2.4 Hz, 1 H), 7.31-7.14 (m, 6 H), 6.82 (d, $J$ = 9.2 Hz, 1 H), 5.52 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.0, 138.3, 137.9, 135.8, 130.5, 128.8, 127.8, 127.6, 127.4, 126.4, 122.9, 121.9, 116.4, 46.0; IR (neat) 1659, 1587, 1558, 1488, 1454, 1428 cm$^{-1}$; HRMS (ESI): calcd for $C_{16}H_{12}ClNNaO^+$ $[M+Na]^+$: 292.0500, found: 292.0496.

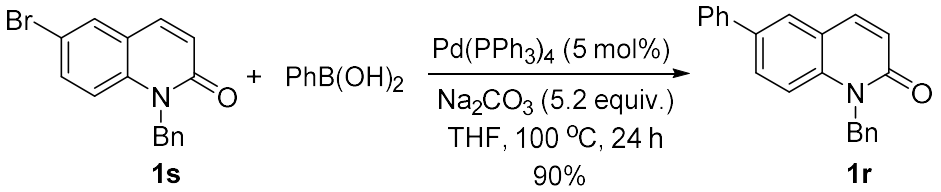
**Synthesis of 1-benzyl-6-methylquinolin-2(*1H*)-one (1q)**




**S1** **1q**

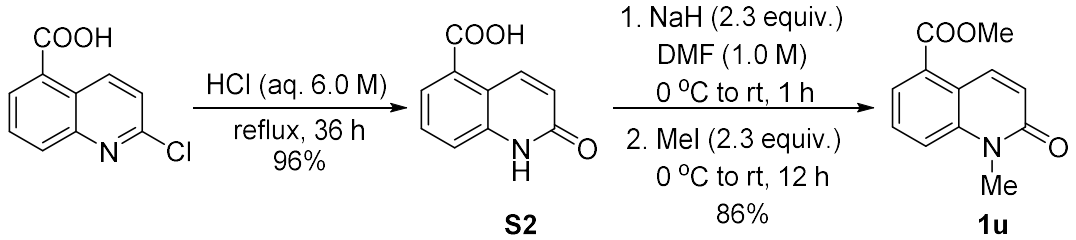
6-Methyl-2-chloroquinoline (1.780 g, 10.0 mmol) was refluxed in aq. HCl (6.0 M, 35 mL) for 24 h. The reaction mixture was cooled to room temperature, and it was extracted with ethyl acetate and dried with $MgSO_4$. After concentration under reduced pressure, the crude residue **S1**[15] was directly subjected to subsequent transformation without further purification. The following step was synthesized according to **Typical Procedure I**. The reaction of crude **S1**, 1-bromomethylbenzene (1.4 mL, 11.8 mmol), $K_2CO_3$ (4.150 g, 30.0 mmol), and anhydrous DMF (10 mL) afforded **1q**[12] as a white solid (946 mg, 38%). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.67 (d, $J$ = 9.6 Hz, 1 H), 7.34 (s, 1 H), 7.32-7.26 (m, 2 H), 7.21 (t, $J$ = 7.0 Hz, 4 H), 7.14 (d, $J$ = 8.4 Hz, 1 H), 6.78 (d, $J$ = 9.2 Hz, 1 H), 5.54 (s, 2 H), 2.36 (s, 3 H).

**Synthesis of 1-benzyl-6-phenylquinolin-2(*1H*)-one (1r)**




**1s** (1.565 g, 5.0 mmol), phenylboronic acid (1.123 g, 10.0 mmol), $Pd(PPh_3)_4$ (286 mg, 0.25 mmol), aq. $Na_2CO_3$ (2.0 M, 13 mL, 26 mmol), and THF (20 mL) were added subsequently into a 100 mL of sealed tube. The mixture was stirred at 100 °C with an oil bath for 24 h as monitored by TLC (eluent: petroleum ether/ethyl acetate = 4:1). After completion of the reaction, the mixture was cooled, diluted with ethyl acetate. The mixture was washed with $H_2O$ (30 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 4:1) afforded **1r** as a white solid (1.403 g, 90%). Mp: 158.0-158.3 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.79 (d, $J$ = 9.2 Hz, 1 H), 7.75 (d, $J$ = 2.0 Hz, 1 H), 7.65 (dd, $J$ = 8.8, 2.0 Hz, 1 H), 7.60-7.53 (m, 2 H), 7.48-7.40 (m, 2 H), 7.39-7.27 (m, 4 H), 7.26-7.20 (m, 3 H), 6.84 (d, $J$ = 9.6 Hz, 1 H), 5.59 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.4, 139.6, 138.7, 136.3, 135.3, 129.5, 128.9, 128.8, 127.4, 127.3, 126.8, 126.6, 122.1, 121.2, 115.5, 46.0; IR (neat) 1656, 1589, 1566, 1486, 1453, 1426 cm$^{-1}$; HRMS (ESI): calcd for $C_{22}H_{18}NO^+$ $[M+H]^+$: 312.1383, found: 312.1381.

**Synthesis of 1-methyl-5-methoxycarbonylquinolin-2(*1H*)-one (1u)**[11,15]

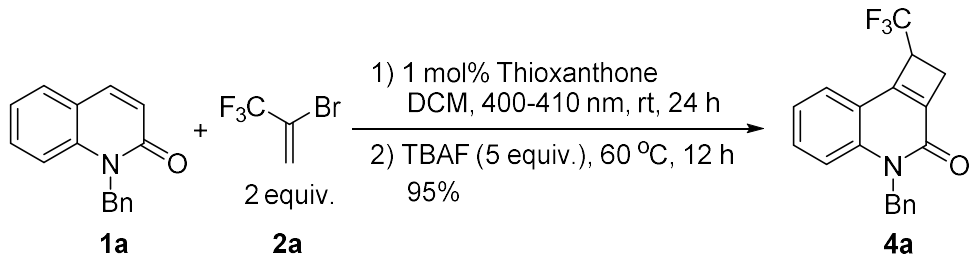



5-Carboxyl-2-chloroquinoline (2.075 g, 10.0 mmol) was refluxed in aq. HCl (6.0 M, 35 mL) for 36 h. The reaction mixture was cooled to room temperature while forming a colorless solid which was filtered, washed with cool water and dried in vacuum to give crude **S2**[16] as a white solid (1.823 g, 96%). **S2** was used in the following step without further purification. To a solution of **S2** (1.823 g, 9.64 mmol) in anhydrous DMF (10

mL) was slowly added NaH (60% wt. in mineral oil, 880 mg, 22.0 mmol) at 0 °C, the reaction was warmed to room temperature and stirred for 1 h. Then the suspension was cooled to 0 °C, followed by dropwise addition of MeI (1.4 mL, 22.5 mmol). The reaction was warmed to room temperature gradually and stirred for 12 h as monitored by TLC (eluent: petroleum ether/ethyl acetate = 1:1). After completion of the reaction, the mixture was washed with $H_2O$ (30 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1:1) afforded **1u** as a white solid (1.793 g, 86%). Mp: 121.5-121.9 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.76 (d, $J$ = 10.4 Hz, 1 H), 7.83 (dd, $J$ = 7.2, 1.6 Hz, 1 H), 7.64-7.53 (m, 2 H), 6.80 (d, $J$ = 10.0 Hz, 1 H), 3.98 (s, 3 H), 3.76 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 167.0, 161.5, 140.8, 136.0, 129.5, 128.6, 124.8, 123.2, 119.7, 118.2, 52.5, 29.8; IR (neat) 1716, 1648, 1608, 1583, 1482, 1456, 1428, 1418 cm$^{-1}$; HRMS (ESI): calcd for $C_{12}H_{12}NO_3^+$ $[M+H]^+$: 218.0812, found: 218.0806.

**Typical Procedure II for Condition A**

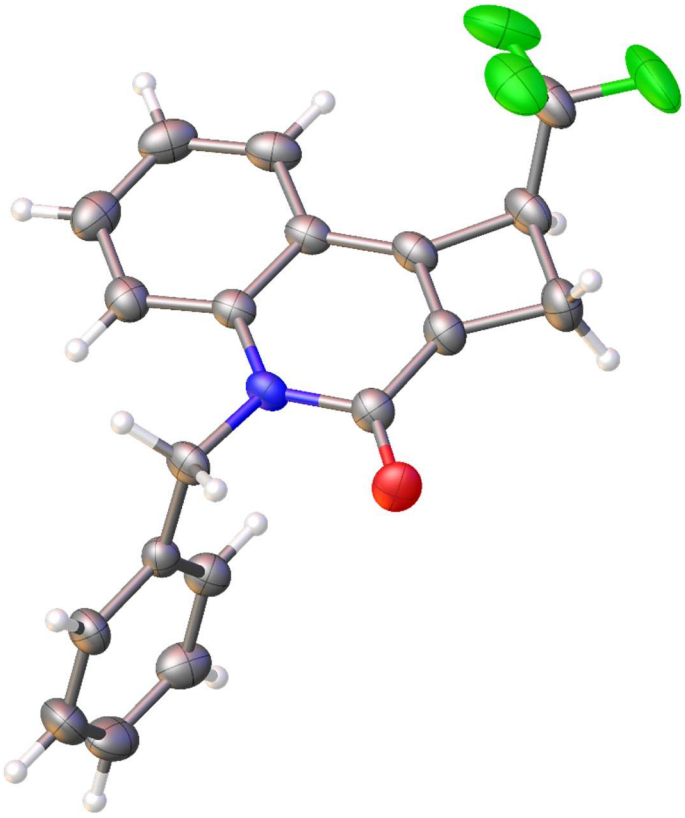
**Synthesis of 4-benzyl-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4a)**




**1a** **2a** **4a**

To an oven-dried 10 mL of sealed tube were added **1a** (47 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), and anhydrous DCM (2 mL). The reaction was irradiated by purple LED (λ = 400-410 nm) under an argon atmosphere at room temperature. The reaction was completed after 24 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). TBAF (1.0 M in THF, 1 mL, 1.0 mmol) was added to the solution subsequently. The reaction mixture was stirred at 60 °C for 12 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). After completion of the

reaction, the mixture was washed with $H_2O$ (20 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) afforded **4a** as a white solid (63 mg, 95%). Mp: 175.3-175.6 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.59 (d, $J$ = 7.6 Hz, 1 H), 7.47-7.38 (m, 1 H), 7.37-7.26 (m, 3 H), 7.25-7.17 (m, 4 H), 5.57 (AB, $J_{AB}$ = 15.6 Hz, $J_{BA}$ = 36.8 Hz, 2 H), 4.27-4.15 (m, 1 H), 3.47 (dd, $J$ = 14.2, 4.6 Hz, 1 H), 3.35 (dd, $J$ = 14.0, 1.6 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 148.4 (q, $J$ = 3.3 Hz), 141.6, 136.3, 133.7, 130.6, 128.8, 127.3, 126.4, 125.5 (q, $J$ = 278.0 Hz), 123.7 (d, $J$ = 1.5 Hz), 122.6, 117.9, 116.5, 46.1, 43.7 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 3.3 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 9.0 Hz); IR (neat) 1677, 1640, 1597, 1561, 1497, 1452 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{15}F_3NO^+$ $[M+H]^+$: 330.1100, found: 330.1093. Supplementary crystallographic data for **4a** have been deposited at the Cambridge Crystallographic Data Center. CCDC: 2076890.

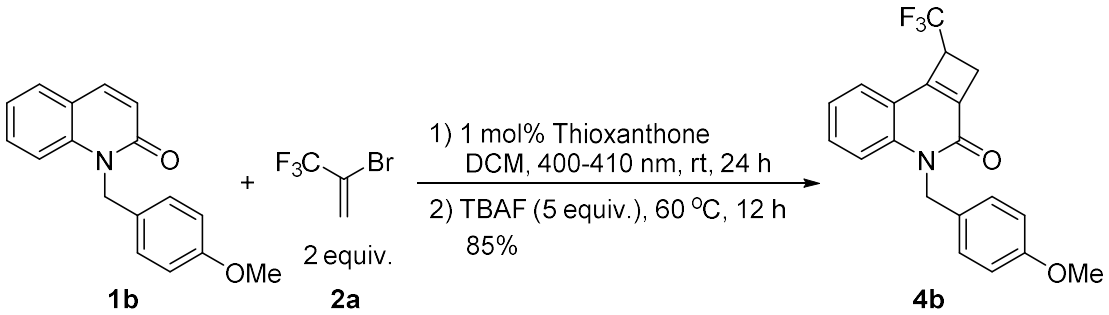


Ortep drawing with 50% ellipsoids for **4a**

***Crystal data***: $C_{19}H_{14}F_3NO$, M = 329.31, T = 173(2) K, Space group: $P2_1/c$, a = 9.0542(7) Å, b = 10.0870(8) Å, c = 16.9352(14) Å, α = 90°, β = 93.412(3)°, γ = 90°, V = 1543.9(2) $Å^3$, Z = 4, R indices: R1 = 0.0511, wR2 = 0.1276.

**The following compounds were synthesized according to Typical Procedure II.**

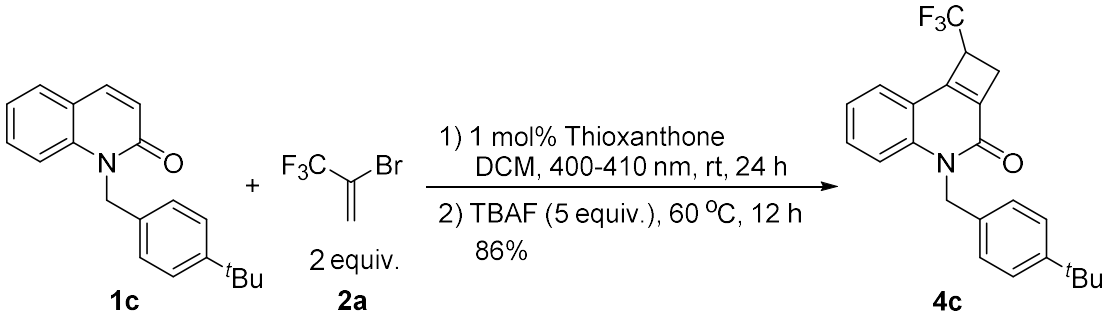
**1) 4-(4-Methoxybenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4b)**




**1b** **2a** **4b**

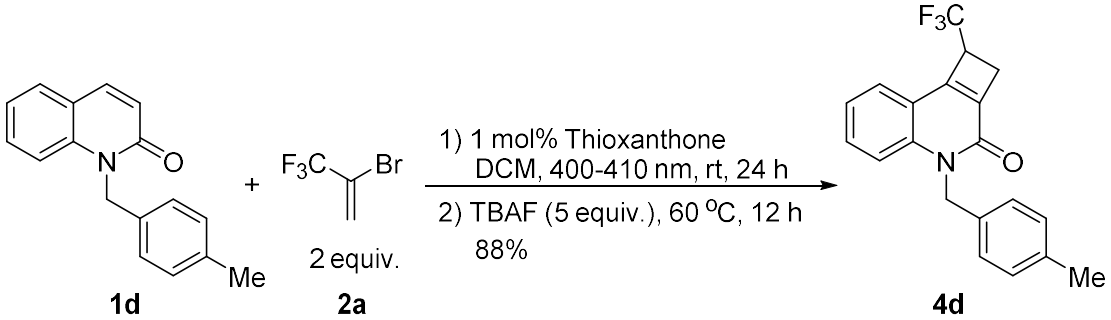
The reaction of **1b** (53 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4b** as a solid (61 mg, 85%). Mp: 157.4-157.8 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.59 (d, $J$ = 7.6 Hz, 1 H), 7.45 (t, $J$ = 7.8 Hz, 1 H), 7.38 (d, $J$ = 8.4 Hz, 1 H), 7.22 (t, $J$ = 7.4 Hz, 1 H), 7.16 (d, $J$ = 8.4 Hz, 2 H), 6.83 (d, $J$ = 8.4 Hz, 2 H), 5.51 (AB, $J_{AB}$ = 15.0 Hz, $J_{BA}$ = 35.8 Hz, 2 H), 4.27-4.15 (m, 1 H), 3.76 (s, 3 H), 3.47 (dd, $J$ = 14.2, 4.6 Hz, 1 H), 3.35 (d, $J$ = 14.0 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 158.8, 157.8, 148.3 (q, $J$ = 2.7 Hz), 141.7, 133.8, 130.6, 128.4, 127.9, 125.6 (q, $J$ = 278.3 Hz), 123.7, 122.6, 118.0, 116.5, 114.2, 55.3, 45.6, 43.7 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.3 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 8.6 Hz); IR (neat) 1676, 1640, 1613, 1597, 1561, 1513, 1452 $cm^{-1}$; HRMS (ESI): calcd for $C_{20}H_{16}F_3NNaO_2^+$ $[M+Na]^+$: 382.1025, found: 382.1021.

**2) 4-(4-(*Tert*-butyl)benzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4c)**




The reaction of **1c** (58 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4c** as a white solid (66 mg, 86%). Mp: 182.5-182.7 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.60 (d, $J$ = 7.6 Hz, 1 H), 7.45 (t, $J$ = 7.8 Hz, 1 H), 7.38 (d, $J$ = 8.8 Hz, 1 H), 7.31 (d, $J$ = 8.0 Hz, 2 H), 7.22 (t, $J$ = 7.4 Hz, 1 H), 7.14 (d, $J$ = 8.0 Hz, 2 H), 5.55 (brs, 2 H), 4.27-4.16 (m, 1 H), 3.47 (dd, $J$ = 14.2, 4.6 Hz, 1 H), 3.35 (d, $J$ = 14.0 Hz, 1 H), 1.27 (s, 9 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 150.2, 148.3 (q, $J$ = 2.7 Hz), 141.7, 133.8, 133.2, 130.6, 126.2, 125.7, 125.5 (q, $J$ = 278.0 Hz), 123.6, 122.5, 117.9, 116.6, 45.8, 43.7 (q, $J$ = 32.8 Hz), 34.4, 31.3, 29.8 (q, $J$ = 3.2 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 9.0 Hz); IR (neat) 1676, 1641, 1597, 1561, 1453 cm$^{-1}$; HRMS (ESI): calcd for $C_{23}H_{22}F_3NNaO^+$ $[M+Na]^+$: 408.1546, found: 408.1552.

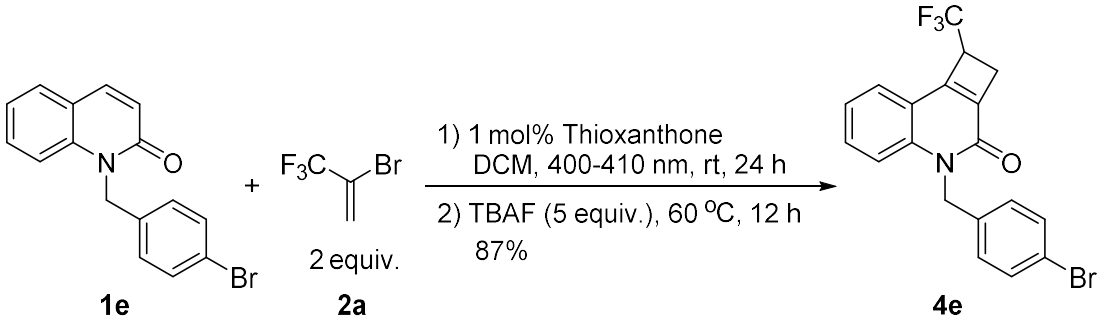
**3) 4-(4-Methylbenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4d)**




The reaction of **1d** (50 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4d** as a white solid (61 mg, 88%). Mp: 149.2-149.5 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.59 (d, $J$ = 7.6 Hz, 1 H), 7.47-7.39 (m, 1 H), 7.35 (d, $J$ = 8.4 Hz, 1 H), 7.21 (t, $J$ = 7.4 Hz, 1 H), 7.14 -7.06 (m, 4 H), 5.53 (AB, $J_{AB}$

= 15.0 Hz, $J_{BA}$ = 39.4 Hz, 2 H), 4.27-4.15 (m, 1 H), 3.47 (dd, *J* = 14.2, 4.6 Hz, 1 H), 3.35 (dd, *J* = 14.2, 1.8 Hz, 1 H), 2.29 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 148.3 (q, *J* = 3.0 Hz), 141.7, 136.9, 133.8, 133.3, 130.6, 129.5, 126.5, 125.5 (q, *J* = 278.1 Hz), 123.6, 122.5, 117.9, 116.5, 45.9, 43.7 (q, *J* = 32.8 Hz), 29.8 (q, *J* = 2.6 Hz), 21.0; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, *J* = 8.6 Hz); IR (neat) 1675, 1641, 1597, 1561, 1515, 1500, 1452 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{16}F_3NNaO^+$ $[M+Na]^+$: 366.1076, found: 366.1073.

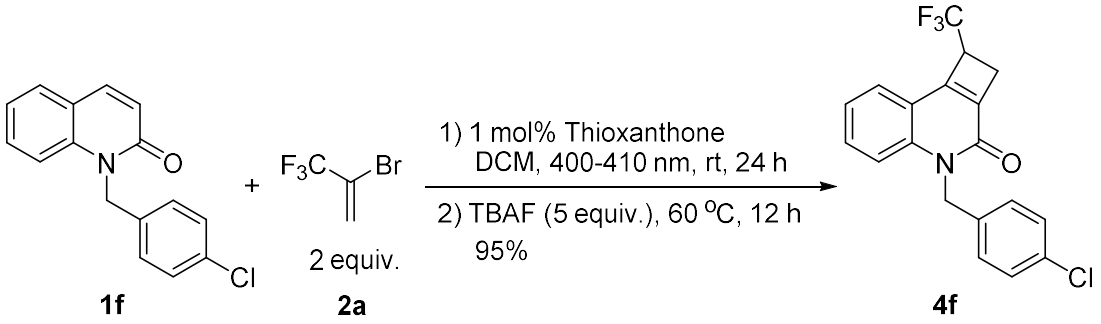
**4) 4-(4-Bromobenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4e)**




**1e** **2a** **4e**

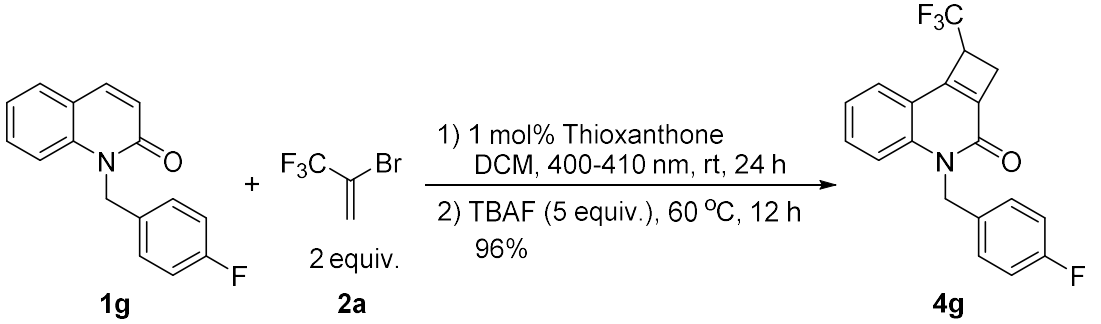
The reaction of **1e** (63 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4e** as a white solid (71 mg, 87%). Mp: 161.0-161.3 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.61 (d, *J* = 8.0 Hz, 1 H), 7.49-7.39 (m, 3 H), 7.30-7.20 (m, 2 H), 7.08 (d, *J* = 8.4 Hz, 2 H), 5.51 (AB, $J_{AB}$ = 15.2 Hz, $J_{BA}$ = 41.2 Hz, 2 H), 4.28-4.16 (m, 1 H), 3.48 (dd, *J* = 14.2, 4.6 Hz, 1 H), 3.35 (dd, *J* = 14.0, 1.6 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.6, 148.6 (q, *J* = 2.9 Hz), 141.5, 135.4, 133.7, 131.9, 130.7, 128.3, 125.5 (q, *J* = 278.3 Hz), 123.9, 122.8, 121.2, 118.0, 116.2, 45.6, 43.8 (q, *J* = 32.9 Hz), 29.8 (q, *J* = 2.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, *J* = 8.6 Hz); IR (neat) 1677, 1640, 1597, 1561, 1489, 1453 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{14}BrF_3NO^+$ $[M+H]^+$: 408.0205, found: 408.0210.

**5) 4-(4-Chlorobenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4f)**




The reaction of **1f** (54 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4f** as a white solid (69 mg, 95%). Mp: 186.1-186.2 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.61 (d, $J$ = 7.6 Hz, 1 H), 7.49-7.41 (m, 1 H), 7.30-7.20 (m, 4 H), 7.14 (d, $J$ = 8.4 Hz, 2 H), 5.53 (AB, $J_{AB}$ = 15.4 Hz, $J_{BA}$ = 40.6 Hz, 2 H), 4.28-4.16 (m, 1 H), 3.48 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.35 (dd, $J$ = 14.0, 1.6 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 148.6 (q, $J$ = 2.9 Hz), 141.5, 134.9, 133.7, 133.2, 130.7, 129.0, 127.9, 125.5 (q, $J$ = 278.2 Hz), 123.9, 122.8, 118.0, 116.2, 45.5, 43.8 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.8 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 8.6 Hz); IR (neat) 1675, 1640, 1597, 1561, 1492, 1452 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{14}ClF_3NO^+$ $[M+H]^+$: 364.0711, found: 364.0710.

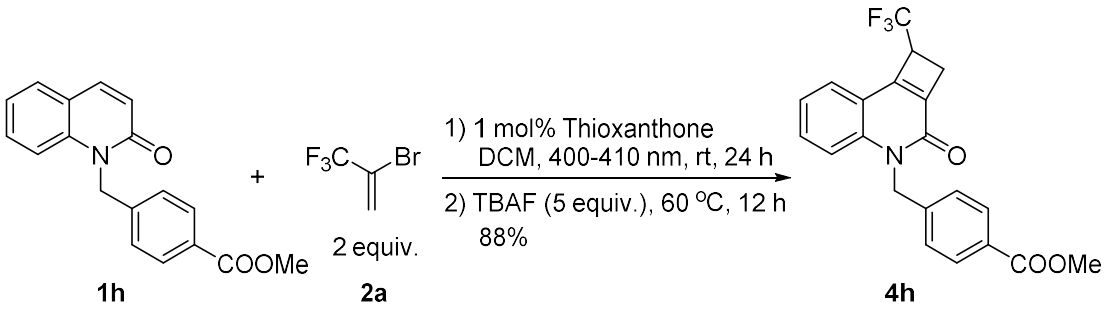
**6) 4-(4-Fluorobenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4g)**




The reaction of **1g** (51 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4g** as a white solid (66 mg, 96%). Mp: 181.0-181.2 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.61 (d, $J$ = 7.6 Hz, 1 H), 7.45 (t, $J$ = 7.4 Hz, 1 H), 7.31 (d, $J$ = 8.8 Hz, 1 H), 7.25-7.15 (m, 3 H), 7.02-6.94 (m, 2 H), 5.53 (AB, $J_{AB}$ = 15.8 Hz, $J_{BA}$ = 34.2 Hz, 2 H), 4.27-4.16 (m, 1 H), 3.47 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.35

(dd, $J$ = 14.0, 1.6 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.0 (C-F, $^1J_{C\text{-}F}$ = 246.8 Hz), 157.7, 148.5 (q, $J$ = 2.8 Hz), 141.5, 133.7, 132.0 (C-F, $^4J_{C\text{-}F}$ = 2.7 Hz), 130.6, 128.2 (C-F, $^3J_{C\text{-}F}$ = 8.1 Hz), 125.5 (q, $J$ = 278.2 Hz), 123.8, 122.7, 117.9, 116.2, 115.7 (C-F, $^2J_{C\text{-}F}$ = 21.7 Hz), 45.4, 43.7 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.9 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 7.9 Hz), -115.1 (ddd, $J$ = 13.3, 8.6, 5.2 Hz); IR (neat) 1674, 1641, 1597, 1562, 1510, 1452 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}F_4NNaO^+$ $[M+Na]^+$: 370.0825, found: 370.0823.

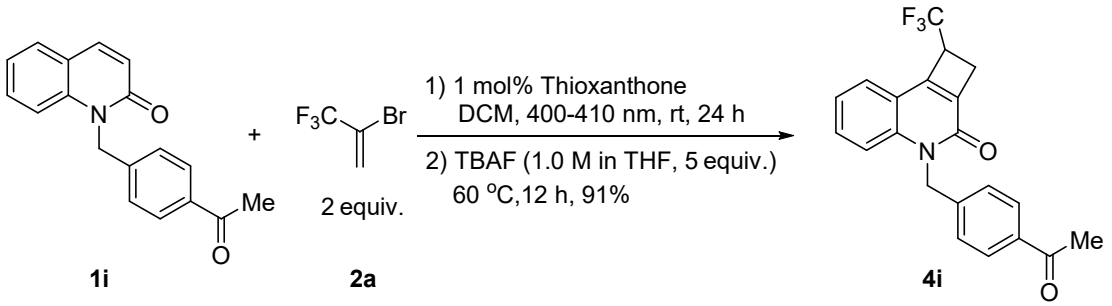
**7) 4-(4-Methoxycarbonylbenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4h)**



**1h** **2a** **4h**

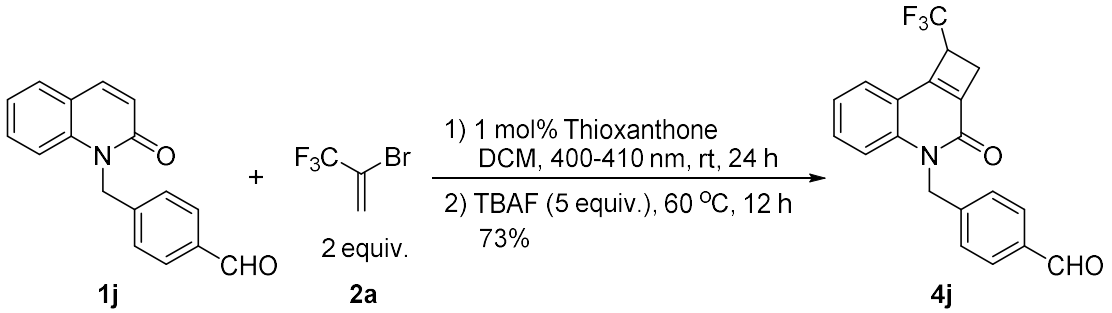
The reaction of **1h** (59 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4h** as a white solid (68 mg, 88%). Mp: 171.3-171.5 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.98 (d, $J$ = 8.0 Hz, 2 H), 7.61 (d, $J$ = 7.6 Hz, 1 H), 7.47-7.38 (m, 1 H), 7.29-7.20 (m, 4 H), 5.62 (AB, $J_{AB}$ = 13.2 Hz, $J_{BA}$ = 48.4 Hz, 2 H), 4.30-4.18 (m, 1 H), 3.88 (s, 3 H), 3.49 (dd, $J$ = 14.2, 4.6 Hz, 1 H), 3.36 (dd, $J$ = 14.2, 1.4 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 166.6, 157.6, 148.7 (q, $J$ = 2.6 Hz), 141.6, 141.4, 133.7, 130.7, 130.1, 129.3, 126.4, 125.5 (q, $J$ = 278.4 Hz), 123.8, 122.8, 117.9, 116.2, 52.0, 46.0, 43.7 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 8.6 Hz); IR (neat) 1722, 1679, 1641, 1613, 1597, 1562, 1500, 1453, 1437, 1416 cm$^{-1}$; HRMS (ESI): calcd for $C_{21}H_{17}F_3NO_3^+$ $[M+H]^+$: 388.1155, found: 388.1148.

**8) 4-(4-Acetylbenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4i)**




The reaction of **1i** (55 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4i** as a white solid (67 mg, 91%). Mp: 136.6-136.7 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.90 (d, $J$ = 8.4 Hz, 2 H), 7.62 (d, $J$ = 7.6 Hz, 1 H), 7.48-7.39 (m, 1 H), 7.29 (d, $J$ = 8.0 Hz, 2 H), 7.26-7.20 (m, 2 H), 5.63 (AB, $J_{AB}$ = 15.4 Hz, $J_{BA}$ = 38.2 Hz, 2 H), 4.30-4.18 (m, 1 H), 3.49 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.36 (dd, $J$ = 14.0, 1.6 Hz, 1 H), 2.56 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 197.4, 157.6, 148.7 (q, $J$ = 2.7 Hz), 141.8, 141.5, 136.3, 133.7, 130.8, 128.9, 126.6, 125.5 (q, $J$ = 278.2 Hz), 123.9, 122.8, 118.0, 116.2, 45.9, 43.8 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.5 Hz), 26.5; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.0 (d, $J$ = 8.6 Hz); IR (neat) 1678, 1640, 1609, 1597, 1561, 1453 cm$^{-1}$; HRMS (ESI): calcd for $C_{21}H_{17}F_3NO_2^+$ $[M+H]^+$: 372.1206, found: 372.1207.

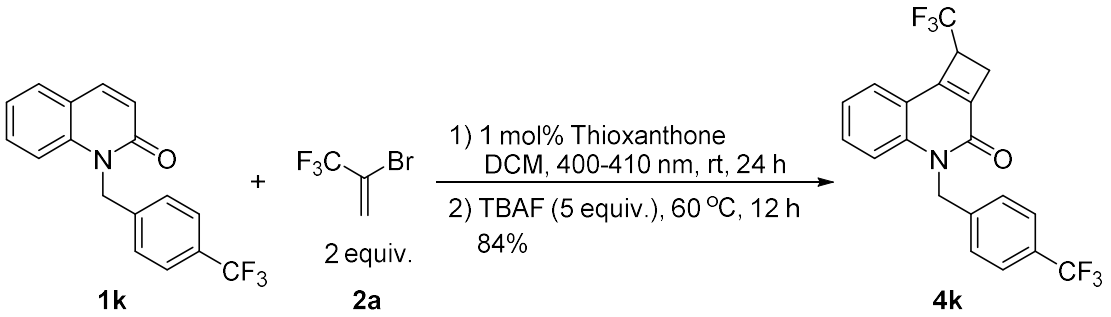
**9) 4-(4-Formylbenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4j)**




The reaction of **1j** (53 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4j** as a solid (52 mg, 73%). Mp: 168.1-168.6 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 9.96 (s, 1 H), 7.83 (d, $J$ = 8.4 Hz, 2 H), 7.63 (d, $J$ = 7.6 Hz, 1 H), 7.49-7.40 (m, 1 H), 7.36 (d, $J$ = 8.0 Hz, 2 H), 7.24 (d, $J$ = 4.0 Hz, 1 H), 7.22

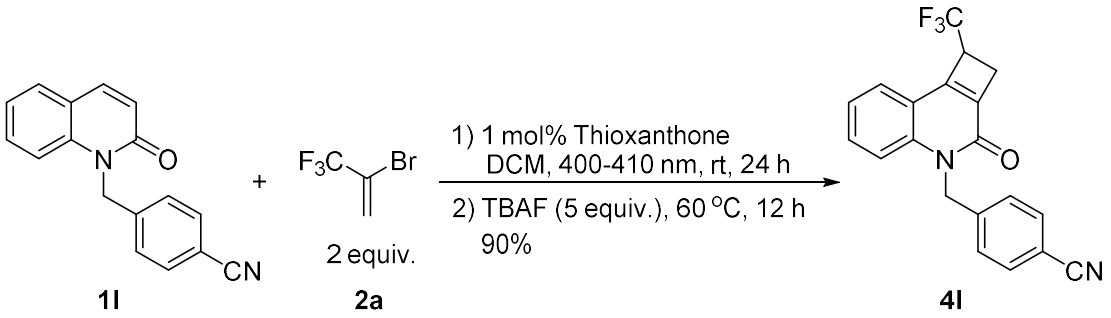
(d, $J$ = 5.6 Hz, 1 H), 5.65 (AB, $J_{AB}$ = 16.0 Hz, $J_{BA}$ = 39.6 Hz, 2 H), 4.31-4.19 (m, 1 H), 3.50 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.36 (dd, $J$ = 14.2, 1.4 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 191.5, 157.6, 148.8 (q, $J$ = 3.0 Hz), 143.4, 141.4, 135.6, 133.7, 130.8, 130.3, 127.0, 125.5 (q, $J$ = 278.3 Hz), 123.9, 122.9, 117.9, 116.1, 46.0, 43.8 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.8 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -69.9 (d, $J$ = 8.6 Hz); IR (neat) 1704, 1674, 1641, 1608, 1597, 1562, 1501, 1453 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{15}F_3NO_2^+$ $[M+H]^+$: 358.1049, found: 358.1044.

**10) 4-(4-Trifluoromethylbenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4k)**



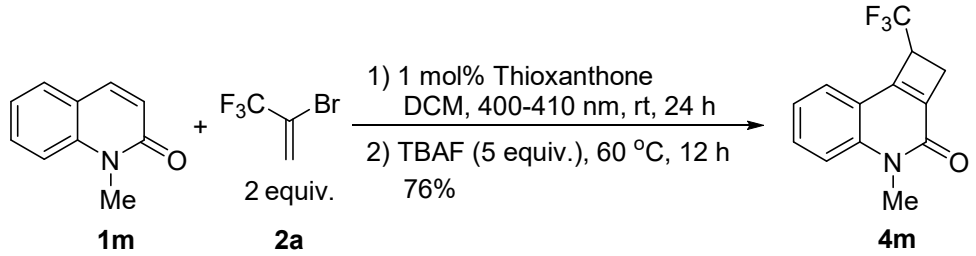

The reaction of **1k** (61 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4k** as a white solid (66 mg, 84%). Mp: 188.2-188.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.63 (d, $J$ = 7.6 Hz, 1 H), 7.57 (d, $J$ = 8.4 Hz, 2 H), 7.50-7.42 (m, 1 H), 7.31 (d, $J$ = 8.0 Hz, 2 H), 7.29-7.21 (m, 2 H), 5.63 (AB, $J_{AB}$ = 15.2 Hz, $J_{BA}$ = 37.6 Hz, 2 H), 4.30-4.18 (m, 1 H), 3.49 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.36 (dd, $J$ = 14.2, 1.4 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.6, 148.8 (q, $J$ = 2.9 Hz), 141.4, 140.5, 133.7, 130.8, 129.7 (q, $J$ = 32.3 Hz), 126.8, 125.8 (q, $J$ = 3.2 Hz), 125.5 (q, $J$ = 278.2 Hz) 123.97 (q, $J$ = 273.1 Hz), 123.96, 122.9, 118.0, 116.1, 45.8, 43.8 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.8 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -62.6, -70.0 (d, $J$ = 8.6 Hz); IR (neat) 1673, 1639, 1620, 1596, 1561, 1452, 1430 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{14}F_6NO^+$ $[M+H]^+$: 398.0974, found: 398.0985.

**11) 4-(4-Cyanobenzyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4l)**




The reaction of **1l** (52 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4l** as a white solid (64 mg, 90%). Mp: 229.3-229.6 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.64 (d, $J$ = 8.0 Hz, 1 H), 7.60 (d, $J$ = 8.0 Hz, 2 H), 7.50-7.42 (m, 1 H), 7.31 (d, $J$ = 8.4 Hz, 2 H), 7.29-7.23 (m, 1 H), 7.18 (d, $J$ = 8.4 Hz, 1 H), 5.62 (AB, $J_{AB}$ = 16.6 Hz, $J_{BA}$ = 33.8 Hz, 2 H), 4.31-4.18 (m, 1 H), 3.49 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.36 (dd, $J$ = 14.2, 1.4 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.5, 148.9 (q, $J$ = 2.7 Hz), 141.9, 141.3, 133.7, 132.7, 130.9, 127.2, 125.4 (q, $J$ = 278.2 Hz), 124.1, 123.0, 118.5, 118.0, 115.9, 111.4, 45.8, 43.8 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -69.9 (d, $J$ = 8.6 Hz); IR (neat) 2229, 1672, 1639, 1610, 1595, 1557, 1509, 1451, 1435, 1415 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{14}F_3N_2O^+$ $[M+H]^+$: 355.1053, found: 355.1048.

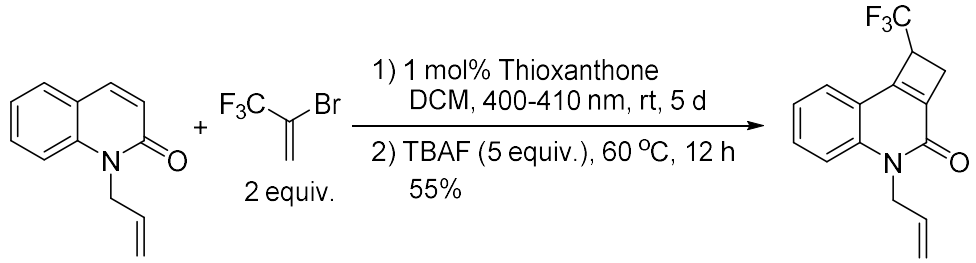
**12) 4-Methyl-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4m)**




The reaction of **1m** (32 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4m** as a white solid (39 mg, 76%). Mp: 111.2-111.8 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.63-7.56 (m, 2 H), 7.45 (d, $J$ = 9.2 Hz, 1 H), 7.29 (d, $J$ = 8.0 Hz, 1 H), 4.24-4.12 (m, 1 H), 3.75 (s, 3 H), 3.42 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.29 (d, $J$ = 14.0 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.6, 147.7 (q, $J$ = 3.7 Hz), 142.1, 133.9, 130.6, 125.5 (q, $J$ = 278.0 Hz), 123.6 (q, $J$ = 1.5 Hz), 122.5, 117.7,

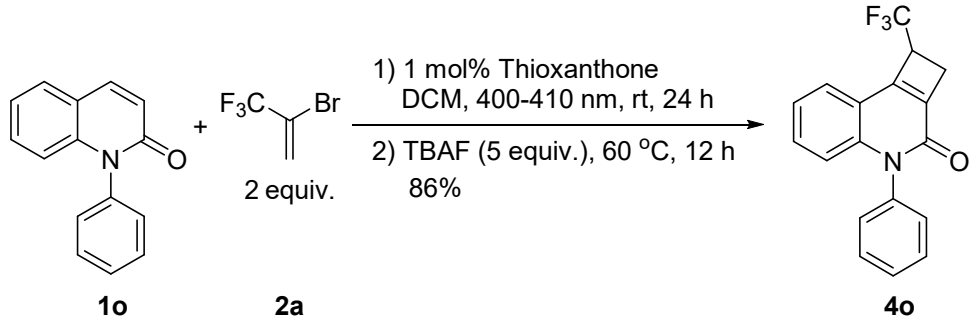
115.6, 43.6 (q, $J$ = 33.0 Hz), 29.70 (q, $J$ = 3.3 Hz), 29.68; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.1 (d, $J$ = 7.9 Hz); IR (neat) 1674, 1639, 1596, 1562, 1453 cm$^{-1}$; HRMS (ESI): calcd for $C_{13}H_{11}F_3NO^+$ $[M+H]^+$: 254.0787, found: 254.0786.

**13) 4-Allyl-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4n)**




The reaction of **1n** (37 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4n** as a solid (31 mg, 55%). Mp: 111.1-111.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.60 (d, $J$ = 7.6 Hz, 1 H), 7.58-7.51 (m, 1 H), 7.40 (d, $J$ = 8.8 Hz, 1 H), 7.30-7.22 (m, 1 H), 6.03-5.89 (m, 1 H), 5.23 (dm, $J$ = 11.2 Hz, 1 H), 5.10 (dm, $J$ = 18.0 Hz, 1 H), 5.05-4.90 (m, 2 H), 4.25-4.13 (m, 1 H), 3.43 (dd, $J$ = 14.4, 4.8 Hz, 1 H), 3.31 (dd, $J$ = 14.0, 2.0 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.2, 148.1 (q, $J$ = 2.9 Hz), 141.5, 133.8, 131.9, 130.5, 125.5 (q, $J$ = 278.2 Hz), 123.7, 122.5, 117.8, 117.1, 116.3, 44.7, 43.6 (q, $J$ = 32.8 Hz), 29.7 (q, $J$ = 2.8 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.1 (d, $J$ = 8.6 Hz); IR (neat) 1676, 1639, 1597, 1561, 1452 cm$^{-1}$; HRMS (ESI): calcd for $C_{15}H_{13}F_3NO^+$ $[M+H]^+$: 280.0944, found: 280.0950.

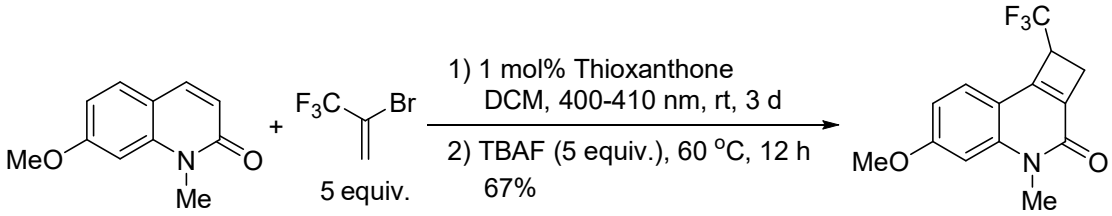
**14) 4-Phenyl-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4o)**




The reaction of **1o** (44 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4o** as a white solid (54 mg, 86%). Mp: 199.8-200.2 °C (petroleum ether/ethyl

acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.65-7.57 (m, 3 H), 7.56-7.49 (m,1 H), 7.37-7.27 (m, 2 H), 7.26-7.19 (m, 2 H), 6.68 (d, $J$ = 8.8 Hz, 1 H), 4.30-4.18 (m, 1 H), 3.47 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.34 (dd, $J$ = 14.2, 1.4 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.5, 148.8 (q, $J$ = 3.3 Hz), 143.5, 137.7, 134.3, 130.2, 130.1 (d, $J$ = 5.6 Hz), 129.0, 128.9 (d, $J$ = 1.6 Hz), 125.6 (q, $J$ = 278.0 Hz), 123.2, 122.7, 117.4, 117.3, 43.6 (q, $J$ = 33.0 Hz), 29.8 (q, $J$ = 3.1 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -69.9 (d, $J$ = 8.6 Hz); IR (neat) 1671, 1592, 1558, 1488, 1448 cm$^{-1}$; HRMS (ESI): calcd for $C_{18}H_{13}F_3NO^+$ $[M+H]^+$: 316.0944, found: 316.0940.

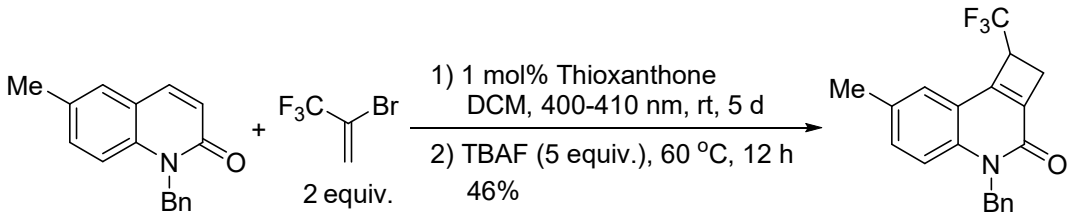
**15) 4-Methyl-6-methoxy-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4p)**




**1p** **2a** **4p**

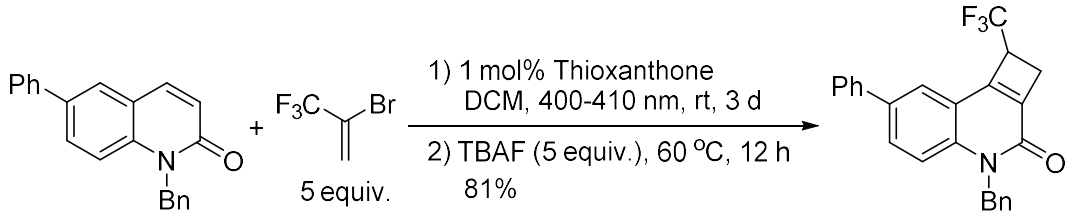
The reaction of **1p** (38 mg, 0.2 mmol), **2a** (104 μL, 1.0 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4p** as a white solid (38 mg, 67%). Mp: 151.8-152.2 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.50 (d, $J$ = 8.4 Hz, 1 H), 6.90-6.83 (m, 2 H), 4.19-4.07 (m, 1 H), 3.92 (s, 3 H), 3.69 (s, 3 H), 3.38 (dd, $J$ = 13.6, 4.8 Hz, 1 H), 3.25 (dd, $J$ = 13.6, 1.6 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 161.7, 157.9, 147.5 (q, $J$ = 3.1 Hz), 143.9, 130.1, 125.6 (q, $J$ = 278.2 Hz), 124.9, 111.8, 110.0, 100.1, 55.6, 43.5 (q, $J$ = 32.7 Hz), 29.7, 29.5 (d, $J$ = 2.8 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.2 (d, $J$ = 9.8 Hz); IR (neat) 1672, 1605, 1553, 1464, 1420 cm$^{-1}$; HRMS (ESI): calcd for $C_{14}H_{13}F_3NO_2^+$ $[M+H]^+$: 284.0893, found: 284.0889.

**16) 4-Benzyl-7-methly-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4q)**




The reaction of **1q** (50 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4q** as a white solid (32 mg, 46%). Mp: 149.1-149.6 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.37 (s, 1 H), 7.33-7.27 (m, 2 H), 7.25-7.15 (m, 5 H), 5.56 (AB, $J_{AB}$ = 15.8 Hz, $J_{BA}$ = 38.2 Hz, 2 H), 4.25-4.13 (m, 1 H), 3.46 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.34 (dd, $J$ = 14.4, 1.6 Hz, 1 H), 2.37 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 148.1 (q, $J$ = 2.6 Hz), 139.7, 136.5, 133.7, 132.4, 131.9, 128.8, 127.2, 126.4, 125.6 (q, $J$ = 278.1 Hz), 123.4, 117.9, 116.4, 46.1, 43.6 (q, $J$ = 32.9 Hz), 29.8 (q, $J$ = 2.6 Hz), 20.6; $^{19}$F NMR (376 MHz, $CDCl_3$) δ -69.9 (d, $J$ = 8.6 Hz); IR (neat) 1674, 1641, 1607, 1558, 1497, 1454 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{16}F_3NNaO^+$ $[M+Na]^+$: 366.1076, found: 366.1069.

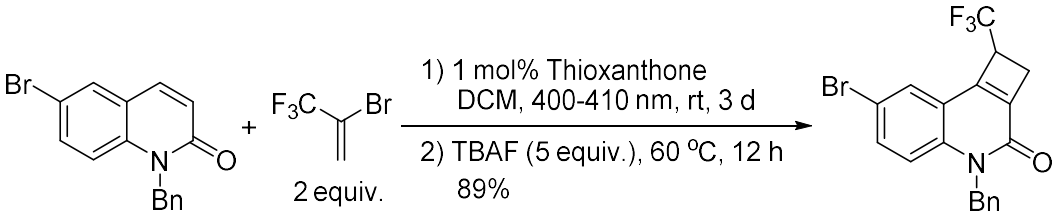
**17) 4-Benzyl-7-phenyl-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4r)**




The reaction of **1r** (62 mg, 0.2 mmol), **2a** (104 μL, 1.0 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4r** as a solid (66 mg, 81%). Mp: 155.6-155.9 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, CDCl3) $\delta$ 7.78 (s, 1 H), 7.66 (dd, $J$ = 9.0, 2.2 Hz, 1 H), 7.55 (d, $J$ = 7.6 Hz, 2 H), 7.44 (t, $J$ = 7.4 Hz, 2 H), 7.39 (d, $J$ = 8.8 Hz, 1 H), 7.37-7.27 (m, 3 H), 7.26-7.20 (m, 3 H), 5.59 (AB, $J_{AB}$ = 15.4 Hz, $J_{BA}$ = 35.0 Hz, 2 H), 4.31-4.19 (m, 1 H), 3.49 (dd, $J$ = 14.0, 4.8 Hz, 1 H), 3.37 (d, $J$ = 14.4 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$)

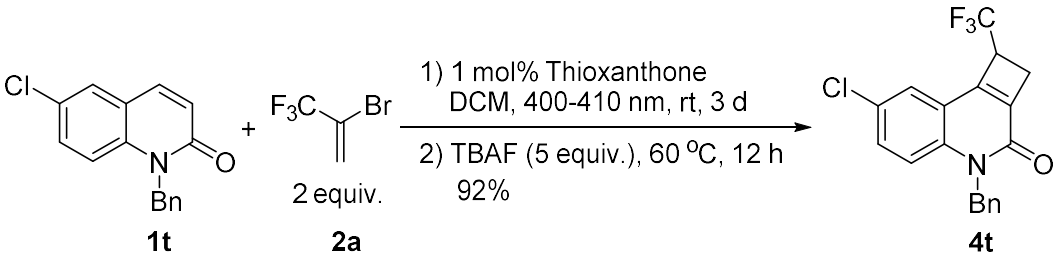
$\delta$ 157.6, 148.4 (q, $J$ = 3.0 Hz), 140.9, 139.3, 136.3, 135.6, 134.2, 129.6, 129.0, 128.8, 127.6, 127.3, 126.9, 126.5, 125.6 (q, $J$ = 278.2 Hz), 121.5, 118.2, 116.9, 46.2, 43.7 (q, $J$ = 32.8 Hz), 29.9 (q, $J$ = 2.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -69.8 (dd, $J$ = 8.3, 3.0 Hz); IR (neat) 1675, 1641, 1604, 1555, 1496, 1454, 1427 cm$^{-1}$; HRMS (ESI): calcd for $C_{25}H_{19}F_3NO^+$ $[M+H]^+$: 406.1413, found: 406.1412.

**18) 4-Benzyl-7-bromo-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4s)**



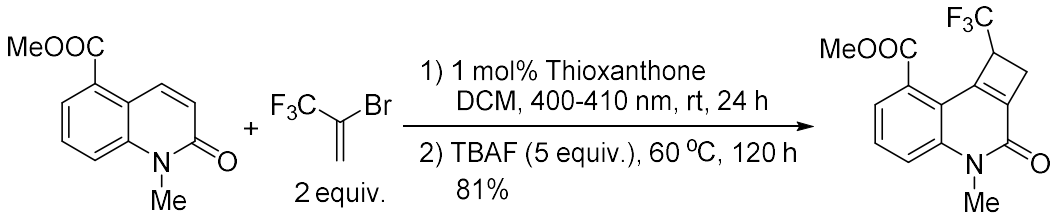

The reaction of **1s** (63 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4s** as a white solid (73 mg, 89%). Mp: 154.8-155.0 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.69 (s, 1 H), 7.49 (dd, $J$ = 9.0, 1.4 Hz, 1 H), 7.34-7.27 (m, 2 H), 7.26-7.14 (m, 4 H), 5.54 (AB, $J_{AB}$ = 14.4 Hz, $J_{BA}$ = 38.8 Hz, 2 H), 4.27-4.13 (m, 1 H), 3.48 (dd, $J$ = 14.2, 4.6 Hz, 1 H), 3.36 (d, $J$ = 14.0 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.3, 147.2 (q, $J$ = 2.6 Hz), 140.5, 135.9, 135.2, 133.4, 128.9, 127.5, 126.4, 126.1, 125.3 (q, $J$ = 278.4 Hz), 119.2, 118.2, 115.8, 46.2, 43.8 (q, $J$ = 33.0 Hz), 30.0 (q, $J$ = 2.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -69.9 (d, $J$ = 8.6 Hz); IR (neat) 1679, 1640, 1590, 1549, 1496, 1454, 1423 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}BrF_3NNaO^+$ $[M+Na]^+$: 430.0025, found: 430.0031.

**19) 4-Benzyl-7-chloro-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4t)**



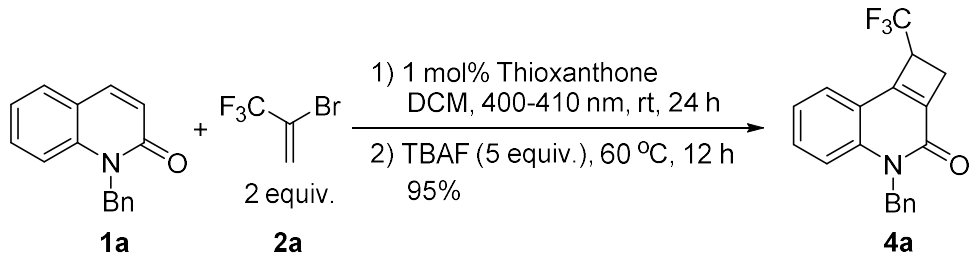
The reaction of **1t** (54 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4t** as a white solid (67 mg, 92%). Mp: 151.8-152.3 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.54 (d, $J$ = 2.4 Hz, 1 H), 7.36 (dd, $J$ = 9.2, 2.4 Hz, 1 H), 7.34-7.20 (m, 4 H), 7.17 (d, $J$ = 7.2 Hz, 2 H), 5.55 (AB, $J_{AB}$ = 15.6 Hz, $J_{BA}$ = 39.6 Hz, 2 H), 4.26-4.14 (m, 1 H), 3.48 (dd, $J$ = 14.2, 4.6 Hz, 1 H), 3.35 (dd, $J$ = 14.4, 1.6 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.3, 147.3 (q, $J$ = 3.2 Hz), 140.1, 135.9, 135.3, 130.7, 128.9, 128.4, 127.5, 126.4, 125.3 (q, $J$ = 278.3 Hz), 123.0, 118.8, 117.9, 46.3, 43.8 (q, $J$ = 33.1 Hz), 30.0 (q, $J$ = 3.0 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -69.9 (d, $J$ = 8.6 Hz); IR (neat) 1677, 1595, 1552, 1496, 1455, 1426 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}ClF_3NNaO^+$ $[M+Na]^+$: 386.0530, found: 386.0532.

**20) 4-Methyl-8-methoxycarbonyl-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (4u)**




The reaction of **1u** (43 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and TBAF (1.0 M in THF, 1 mL, 1.0 mmol) afforded **4u** as a white solid (50 mg, 81%). Mp: 160.4-160.6 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.67 (dd, $J$ = 6.4, 1.6 Hz, 1 H), 7.65-7.54 (m, 2 H), 4.58-4.46 (m, 1 H), 3.96 (s, 3 H), 3.78 (s, 3 H), 3.43 (dd, $J$ = 14.4, 4.8 Hz, 1 H), 3.15 (dd, $J$ = 14.4, 1.2 Hz, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 167.0, 157.0, 146.3 (q, $J$ = 3.3 Hz), 142.7, 137.5, 129.4, 128.1, 125.7 (q, $J$ = 278.7 Hz), 124.0, 119.1, 116.2, 52.6, 46.0 (q, $J$ = 31.6 Hz), 30.1, 29.5 (q, $J$ = 3.0 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.4 (d, $J$ = 7.9 Hz); IR (neat) 1720, 1672, 1628, 1586, 1561, 1460, 1438 cm$^{-1}$; HRMS (ESI): calcd for $C_{15}H_{13}F_3NO_3^+$ $[M+H]^+$: 312.0842, found: 312.0839.

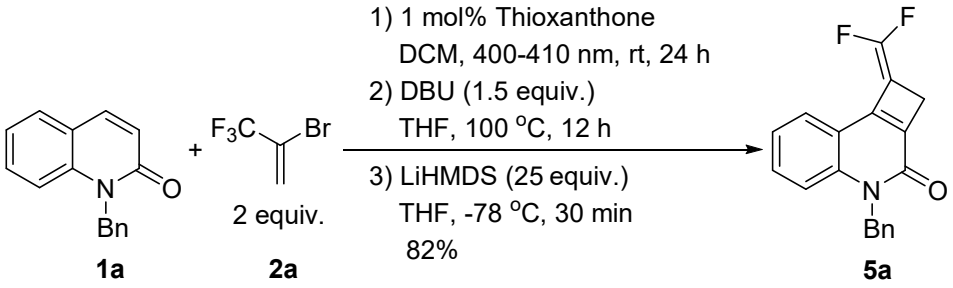
**Gram-scale reaction of 1a under Condition A**




To an oven-dried 100 mL of sealed tube were added **1a** (1.176 g, 5.0 mmol), **2** (1.0 mL, 9.6 mmol), thioxanthone (11 mg, 0.05 mmol), and anhydrous DCM (50 mL). The reaction was irradiated by purple LED (λ = 400-410 nm) under an argon atmosphere at room temperature. The reaction was completed after 24 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). TBAF (1.0 M in THF, 25 mL, 25 mmol) was added to the solution subsequently. The reaction mixture was stirred at 60 °C for 12 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). After completion of the reaction, the mixture was washed with $H_2O$ (50 mL) and saturated aqueous $NH_4Cl$ (20 mL) and extracted with ethyl acetate (50 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 30:1→5:1) afforded **4a** as a white solid (1.570 g, 95%).

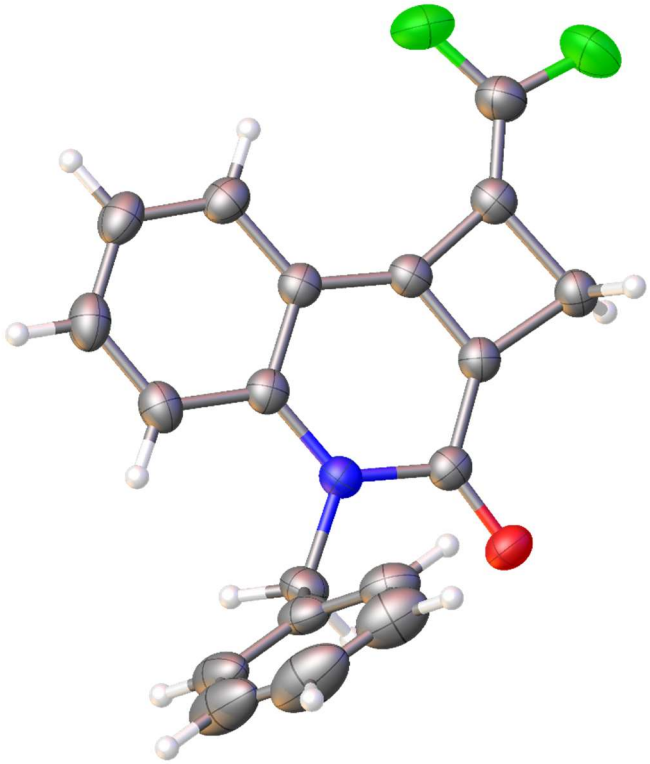
**Typical Procedure III for Condition B**

**Synthesis of 4-benzyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5a)**




To an oven-dried 10 mL of sealed tube were added **1a** (47 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), and anhydrous DCM (2 mL). The reaction was irradiated by purple LED (λ = 400-410 nm) under an argon atmosphere at room temperature. The reaction was completed after 24 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). DCM was removed in vacuo, anhydrous THF (1

mL) and DBU (45 μL, 0.3 mmol) were added to the residue subsequently. The reaction mixture was stirred at 100 °C. The reaction was completed after 12 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). The mixture was cannulated under argon atmosphere to a 250 mL of Schlenk flask containing anhydrous THF (100 mL). LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol) was added to the solution at -78 °C. The reaction was stirred at this temperature for 30 min. The cooling bath was then removed and the reaction was quenched with $H_2O$ (10 mL). The mixture was stirred for another 30 min until the reaction mixture reached room temperature. Then THF was removed by rotary evaporator, the residue was washed with saturated aqueous $NH_4Cl$ (20 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) afforded **5a** as a white solid (51 mg, 82%). Mp: 112.2-112.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.73 (d, $J$ = 8.0 Hz, 1 H), 7.46-7.38 (m, 1 H), 7.35-7.26 (m, 3 H), 7.25-7.16 (m, 4 H), 5.57 (s, 2 H), 3.76 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.9, 150.1 (dd, $J$ = 294.3, 292.0 Hz), 147.8 (dd, $J$ = 8.7, 3.6 Hz), 141.9, 136.5, 130.7, 129.1 (dd, $J$ = 6.5, 5.1 Hz), 128.8, 127.2, 126.4, 124.5 (d, $J$ = 5.6 Hz), 122.4, 116.5, 116.1, 90.1 (dd, $J$ = 34.3, 23.1 Hz), 46.0, 32.2 (d, $J$ = 5.7 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.9 (d, $J$ = 44.4 Hz), -93.4 (d, $J$ = 44.4 Hz); IR (neat) 1776, 1660, 1584, 1557, 1497, 1449, 1406 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}F_2NNaO^+$ $[M+Na]^+$: 332.0857, found: 332.0855. Supplementary crystallographic data for **5a** have been deposited at the Cambridge Crystallographic Data Center. CCDC: 2076180.

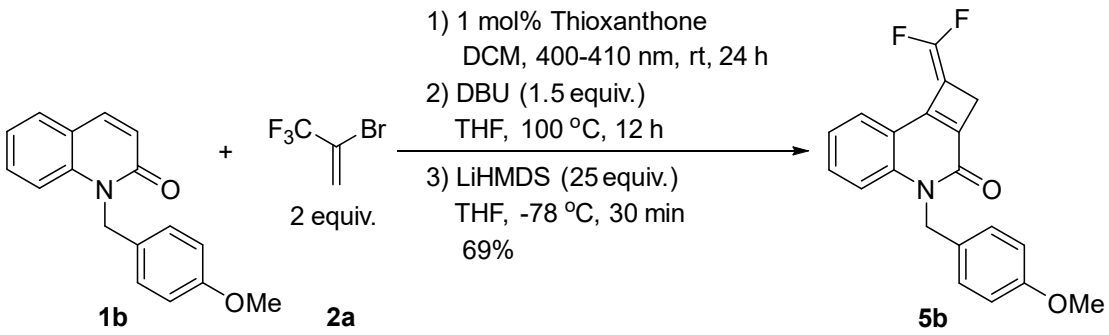


Ortep drawing with 50% ellipsoids for **5a**

***Crystal data***: $C_{19}H_{13}F_2NO$, M = 309.30, T = 173(2) K, Space group: P-1, a = 8.982(5) Å, b = 13.786(5) Å, c = 13.975(3) Å, α = 112.81(3)°, β = 107.33(3)°, γ = 96.28(3)°, V = 1472.2(11) $Å^3$, Z = 4, R indices: R1 = 0.0541, wR2 = 0.1250.

**The following compounds were synthesized according to Typical Procedure III.**

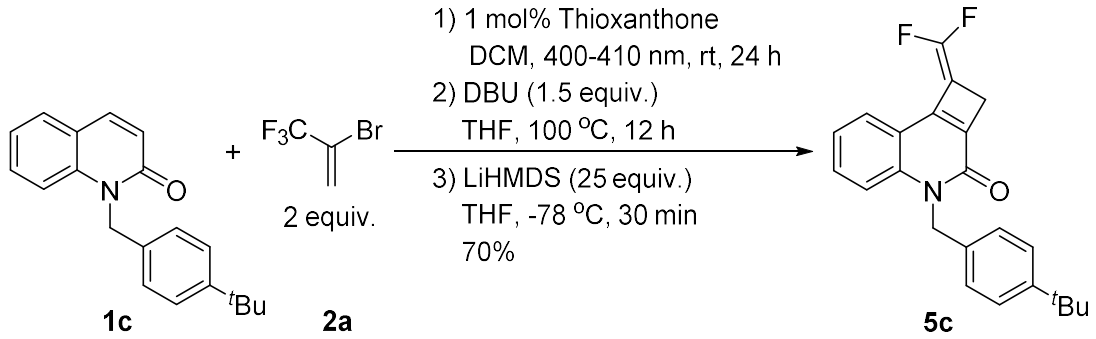
**1) 4-(4-Methoxybenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5b)**




The reaction of **1b** (53 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1

mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5b** as a white solid (47 mg, 69%). Mp: 169.0-169.5 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.73 (d, $J$ = 7.6 Hz, 1 H), 7.49-7.40 (m, 1 H), 7.37 (d, $J$ = 8.4 Hz, 1 H), 7.22 (t, $J$ = 7.4 Hz, 1 H), 7.15 (d, $J$ = 8.8 Hz, 2 H), 6.82 (d, $J$ = 8.4 Hz, 2 H), 5.51 (s, 2 H), 3.76 (s, 2 H), 3.75 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 158.8, 157.9, 150.1 (t, $J$ = 293.3 Hz), 147.7 (dd, $J$ = 8.4, 3.2 Hz), 141.9, 130.6, 129.2 (t, $J$ = 5.5 Hz), 128.6, 127.8, 124.5 (d, $J$ = 5.6 Hz), 122.4, 116.5, 116.1, 114.2, 90.1 (dd, $J$ = 34.3, 23.3 Hz), 55.2, 45.5, 32.2 (d, $J$ = 5.9 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.0 (d, $J$ = 45.1 Hz), -93.5 (d, $J$ = 45.1 Hz); IR (neat) 1776, 1660, 1585, 1556, 1513, 1445 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{15}F_2NNaO_2^+$ $[M+Na]^+$: 362.0963, found: 362.0959.

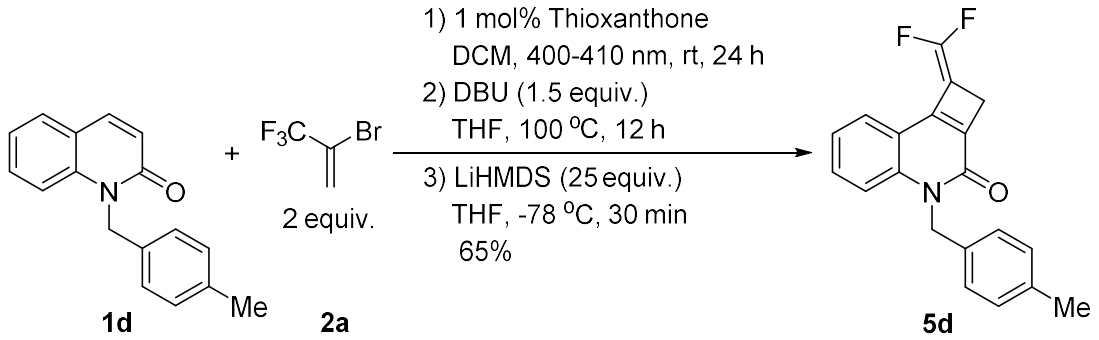
**2) 4-(4-(*Tert*-butyl)benzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5c)**




The reaction of **1c** (58 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5c** as a white solid (51 mg, 70%). Mp: 169.6-170.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 7.6 Hz, 1 H), 7.45 (t, $J$ = 8.0 Hz, 1 H), 7.37 (d, $J$ = 8.8 Hz, 1 H), 7.31 (d, $J$ = 8.4 Hz, 2 H), 7.22 (t, $J$ = 7.6 Hz, 1 H), 7.13 (d, $J$ = 8.4 Hz, 2 H), 5.55 (brs, 2 H), 3.77 (s, 2 H), 1.27 (s, 9 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.9, 150.12, 150.11 (t, $J$ = 293.2 Hz), 147.7 (dd, $J$ = 8.9, 3.4 Hz), 142.0, 133.4, 130.7, 129.2 (dd, $J$ = 6.4, 5.1 Hz), 126.2, 125.7, 124.5 (d, $J$ = 5.5 Hz), 122.4, 116.6, 116.1, 90.1 (dd, $J$ = 34.3, 23.4 Hz), 45.8, 34.4, 32.3 (d, $J$ = 5.9 Hz), 31.3; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.0 (d, $J$ = 45.1 Hz), -93.5 (d, $J$ = 45.1 Hz); IR (neat) 1776, 1661, 1586, 1557, 1450 cm$^{-1}$; HRMS (ESI): calcd for $C_{23}H_{21}F_2NNaO^+$ $[M+Na]^+$: 388.1483, found:

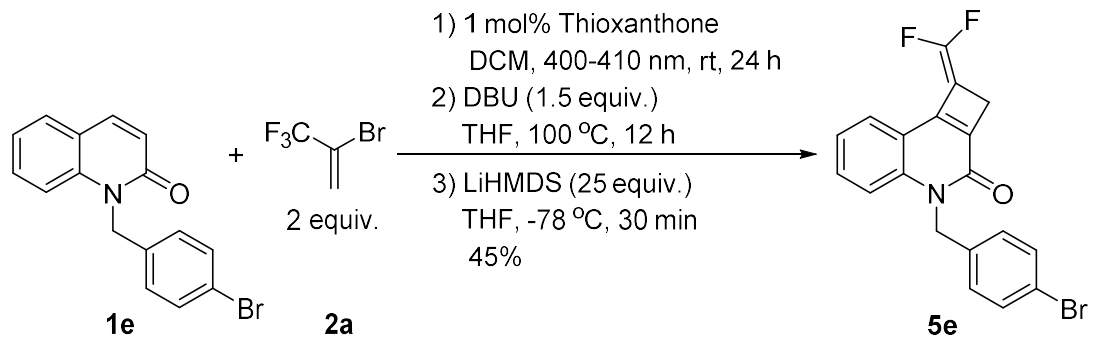
388.1478.

**3) 4-(4-Methylbenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5d)**




The reaction of **1d** (50 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5d** as a white solid (42 mg, 65%). Mp: 163.5-163.7 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.73 (d, $J$ = 8.0 Hz, 1 H), 7.47-7.39 (m, 1 H), 7.34 (d, $J$ = 8.4 Hz, 1 H), 7.21 (t, $J$ = 7.4 Hz, 1 H), 7.13-7.05 (m, 4 H), 5.54 (brs, 2 H), 3.76 (s, 2 H), 2.29 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.9, 150.1 (t, $J$ = 293.5 Hz), 147.7 (dd, $J$ = 8.5, 2.6 Hz), 141.9, 136.9, 133.5, 130.6, 129.4, 129.2 (t, $J$ = 5.5 Hz), 126.4, 124.5 (d, $J$ = 5.6 Hz), 122.4, 116.5, 116.1, 90.1 (dd, $J$ = 34.2, 23.2 Hz), 45.8, 32.2 (d, $J$ = 6.0 Hz), 21.0; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.0 (d, $J$ = 44.7 Hz), -93.5 (d, $J$ = 44.4 Hz); IR (neat) 1776, 1660, 1586, 1557, 1515, 1502, 1449, 1407 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{15}F_2NNaO^+$ $[M+Na]^+$: 346.1014, found: 346.1006.

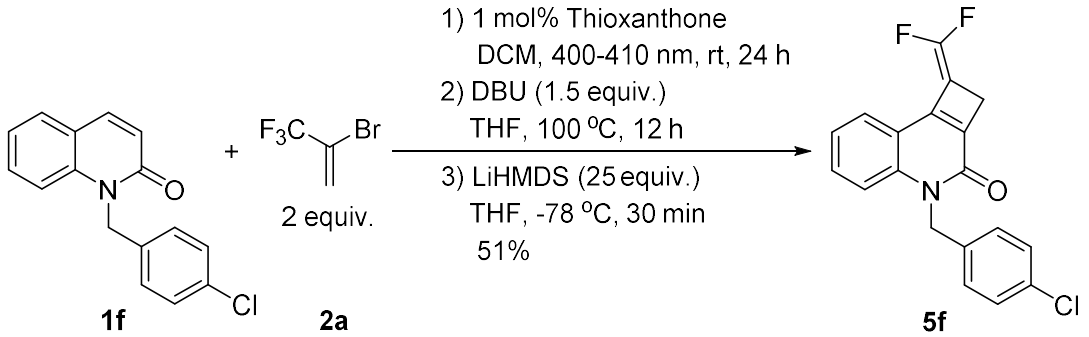
**4) 4-(4-Bromobenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5e)**




The reaction of **1e** (63 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg,

0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5e** as a white solid (35 mg, 45%). Mp: 162.7-163.3 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.75 (d, $J$ = 7.6 Hz, 1 H), 7.49-7.38 (m, 3 H), 7.26-7.20 (m, 2 H), 7.08 (d, $J$ = 8.4 Hz, 2 H), 5.52 (brs, 2 H), 3.77 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.8, 150.2 (t, $J$ = 293.9 Hz), 148.0 (dd, $J$ = 8.5, 2.8 Hz), 141.7, 135.6, 131.9, 130.8, 129.1 (t, $J$ = 5.7 Hz), 128.3, 124.7 (d, $J$ = 5.6 Hz), 122.6, 121.1, 116.24, 116.16, 90.1 (dd, $J$ = 34.4, 23.4 Hz), 45.5, 32.3 (d, $J$ = 5.5 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.7 (d, $J$ = 44.0 Hz), -93.1 (d, $J$ = 43.2 Hz); IR (neat) 1776, 1660, 1586, 1559, 1501, 1488, 1449, 1404 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}BrF_2NO^+$ $[M+H]^+$: 388.0143, found: 388.0146.

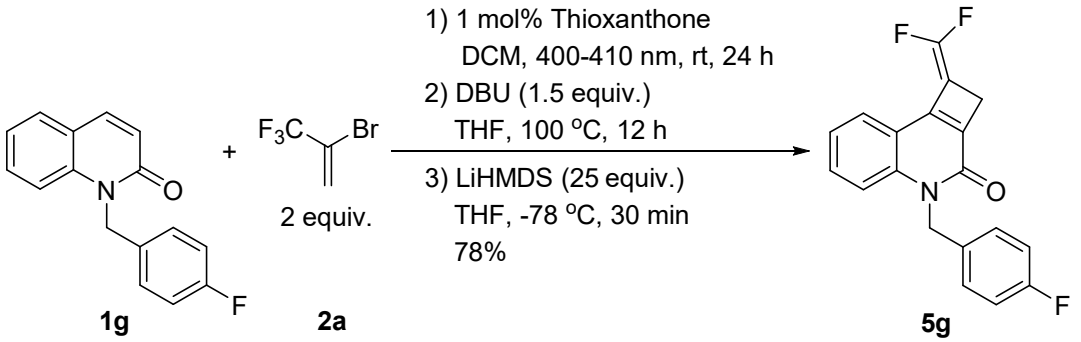
**5) 4-(4-Chlorobenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5f)**




The reaction of **1f** (54 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5f** as a solid (35 mg, 51%). Mp: 149.2-149.8 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.75 (d, $J$ = 7.6 Hz, 1 H), 7.45 (t, $J$ = 7.4 Hz, 1 H), 7.30-7.20 (m, 4 H), 7.14 (d, $J$ = 8.0 Hz, 2 H), 5.54 (brs, 2 H), 3.77 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.8, 150.2 (dd, $J$ = 294.3, 292.4 Hz), 148.0 (dd, $J$ = 8.7, 3.2 Hz), 141.7, 135.0, 133.1, 130.8, 129.1 (dd, $J$ = 6.7, 4.9 Hz), 129.0, 127.9, 124.7 (d, $J$ = 5.6 Hz), 122.6, 116.3, 116.2, 90.1 (dd, $J$ = 34.4, 23.3 Hz), 45.5, 32.3 (d, $J$ = 5.7 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.7 (d, $J$ = 44.4 Hz), -93.2 (d, $J$ = 43.2 Hz); IR (neat) 1775, 1660, 1586, 1557, 1492, 1449, 1406 cm$^{-1}$; HRMS (ESI): calcd for

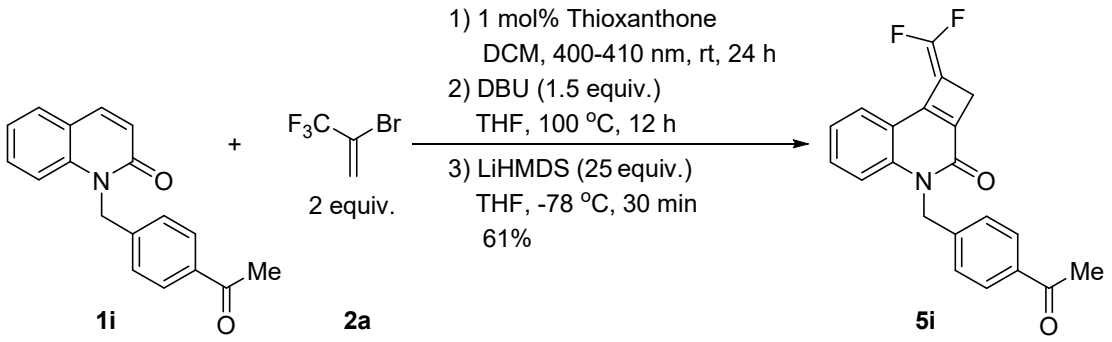
$C_{19}H_{13}ClF_2NO^+$ $[M+H]^+$: 344.0648, found: 344.0639.

**6) 4-(4-Fluorobenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5g)**



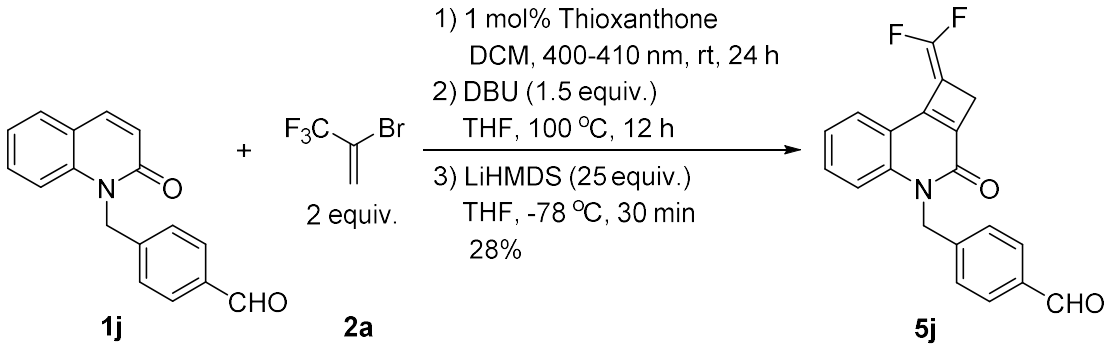

The reaction of **1g** (51 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5g** as a white solid (51 mg, 78%). Mp: 185.6-185.7 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 8.0 Hz, 1 H), 7.49-7.41 (m, 1 H), 7.30 (d, $J$ = 8.4 Hz, 1 H), 7.23 (t, $J$ = 7.4 Hz, 1 H), 7.18 (dd, $J$ = 8.4, 5.6 Hz, 2 H), 7.02-6.94 (m, 2 H), 5.53 (brs, 2 H), 3.76 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 162.0 (C-F, $^1J_{C\text{-}F}$ = 246.9 Hz), 157.8, 150.1 (t, $J$ = 293.5 Hz), 147.9 (dd, $J$ = 8.7, 3.2 Hz), 141.7, 132.2 (C-F, $^4J_{C\text{-}F}$ = 2.8 Hz), 130.7, 129.1 (t, $J$ = 5.6 Hz), 128.2 (C-F, $^3J_{C\text{-}F}$ = 8.1 Hz), 124.6 (d, $J$ = 5.6 Hz), 122.5, 116.3, 116.1, 115.7 (C-F, $^2J_{C\text{-}F}$ = 21.7 Hz), 90.1 (dd, $J$ = 34.4, 23.3 Hz), 45.4, 32.2 (d, $J$ = 5.5 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.8 (d, $J$ = 44.4 Hz), -93.2 (d, $J$ = 44.4 Hz), -115.2 (ddd, $J$ = 13.2, 8.5, 4.9 Hz); IR (neat) 1777, 1658, 1607, 1584, 1556, 1510, 1450, 1407 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{12}F_3NNaO^+$ $[M+Na]^+$: 350.0763, found: 350.0761.

**7) 4-(4-Acetylbenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5i)**




The reaction of **1i** (55 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5i** as a white solid (43 mg, 61%). Mp: 165.8-166.2 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.89 (d, $J$ = 8.4 Hz, 2 H), 7.76 (d, $J$ = 7.6 Hz, 1 H), 7.43 (t, $J$ = 7.4 Hz, 1 H), 7.28 (d, $J$ = 8.8 Hz, 2 H), 7.24 (d, $J$ = 3.6 Hz, 1 H), 7.22 (d, $J$ = 4.8 Hz, 1 H), 5.63 (brs, 2 H), 3.78 (s, 2 H), 2.56 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 197.4, 157.7, 150.2 (t, $J$ = 293.7 Hz), 148.1 (dd, $J$ = 8.8, 2.9 Hz), 142.0, 141.7, 136.3, 130.8, 129.0 (t, $J$ = 5.8 Hz), 128.9, 126.6, 124.7 (d, $J$ = 5.2 Hz), 122.6, 116.2, 90.1 (dd, $J$ = 34.5, 23.6 Hz), 45.9, 32.3 (d, $J$ = 5.6 Hz), 26.5; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.6 (d, $J$ = 42.5 Hz), -93.0 (d, $J$ = 43.6 Hz); IR (neat) 1776, 1659, 1609, 1586, 1559, 1450, 1409 cm$^{-1}$; HRMS (ESI): calcd for $C_{21}H_{16}F_2NO_2^+$ $[M+H]^+$: 352.1144, found: 352.1143.

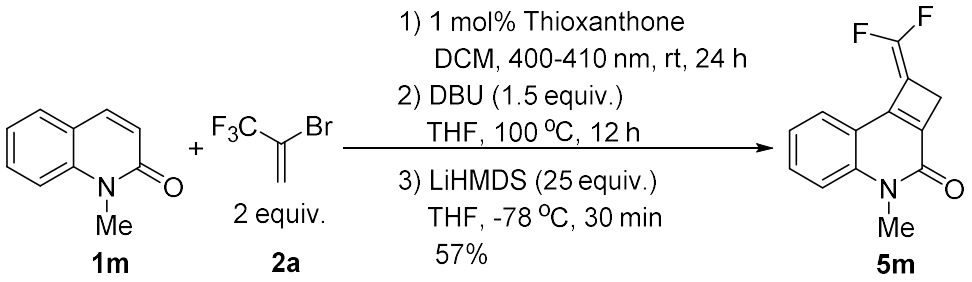
**8) 4-(4-Formylbenzyl)-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5j)**




The reaction of **1j** (53 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded

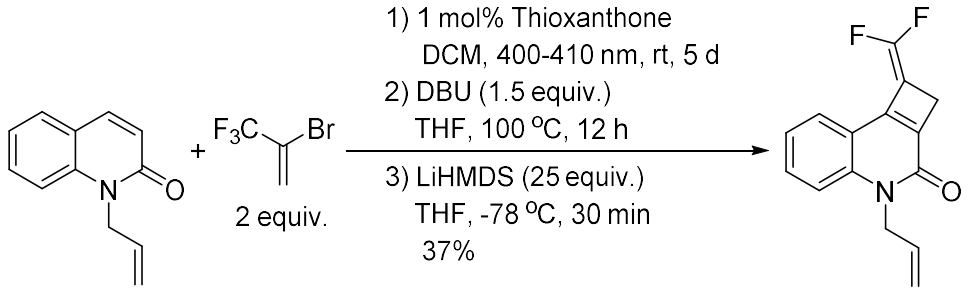
**5j** as a white solid (19 mg, 28%). Mp: 169.9-170.4 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 9.96 (s, 1 H), 7.82 (d, $J$ = 8.4 Hz, 2 H), 7.77 (d, $J$ = 8.0 Hz, 1 H), 7.44 (t, $J$ = 8.0 Hz, 1 H), 7.35 (d, $J$ = 8.0 Hz, 2 H), 7.26 (d, $J$ = 8.0 Hz, 1 H), 7.21 (d, $J$ = 8.8 Hz, 1 H), 5.65 (brs, 2 H), 3.78 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 191.6, 157.7, 150.2 (dd, $J$ = 294.6, 292.5 Hz), 148.2 (dd, $J$ = 8.9, 3.4 Hz), 143.6, 141.6, 135.6, 130.8, 130.2, 129.0 (dd, $J$ = 6.5, 5.1 Hz), 127.0, 124.7 (d, $J$ = 5.9 Hz), 122.7, 116.12, 116.09, 90.1 (dd, $J$ = 34.4, 23.1 Hz), 45.9, 32.3 (d, $J$ = 5.5 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.5 (d, $J$ = 43.2 Hz), -92.9 (d, $J$ = 43.6 Hz); IR (neat) 1776, 1703, 1660, 1609, 1586, 1560, 1450, 1407 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{14}F_2NO_2^+$ $[M+H]^+$: 338.0987, found: 338.0991.

**9) 4-Methyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5m)**



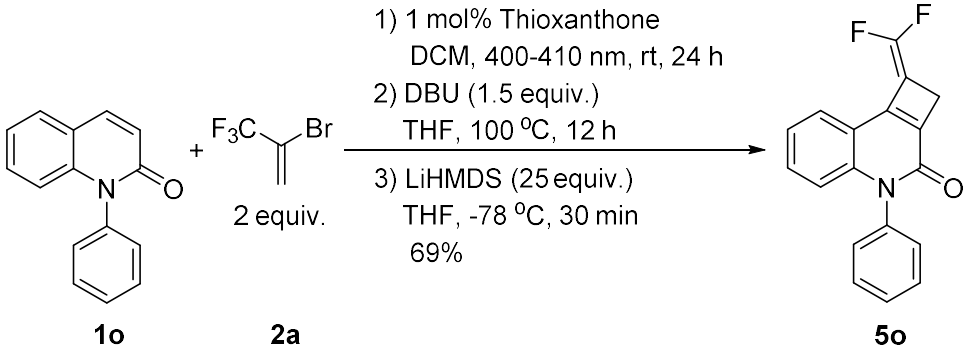

The reaction of **1m** (32 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5m** as a white solid (27 mg, 57%). Mp: 107.1-107.6 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.73 (d, $J$ = 8.0 Hz, 1 H), 7.59 (t, $J$ = 8.0 Hz, 1 H), 7.43 (d, $J$ = 8.8 Hz, 1 H), 7.32-7.24 (m, 1 H), 3.74 (s, 3 H), 3.70 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 150.1 (dd, $J$ = 294.0, 292.0 Hz), 147.1 (dd, $J$ = 8.2, 3.8 Hz), 142.4, 130.7, 129.3 (dd, $J$ = 6.3, 5.1 Hz), 124.5 (d, $J$ = 5.5 Hz), 122.3, 115.8, 115.6, 90.1 (dd, $J$ = 34.3, 23.3 Hz), 32.1 (d, $J$ = 5.8 Hz), 29.7; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.3 (d, $J$ = 45.1 Hz), -93.8 (d, $J$ = 45.9 Hz); IR (neat) 1776, 1655, 1586, 1557, 1452, 1415 cm$^{-1}$; HRMS (ESI): calcd for $C_{13}H_{10}F_2NO^+$ $[M+H]^+$: 234.0725, found: 234.0724.

**10) 4-Allyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5n)**




The reaction of **1n** (37 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5n** as a white solid (19 mg, 37%). Mp: 106.5-106.7 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 8.0 Hz, 1 H), 7.59-7.51 (m, 1 H), 7.39 (d, $J$ = 8.4 Hz, 1 H), 7.30-7.22 (m, 1 H), 6.03-5.89 (m, 1 H), 5.22 (dm, $J$ = 11.6 Hz, 1 H), 5.08 (dm, $J$ = 18.4 Hz, 1 H), 5.01-4.96 (m, 2 H), 3.72 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.4, 150.1 (dd, $J$ = 294.0, 292.0 Hz), 147.6 (dd, $J$ = 8.8, 3.3 Hz), 141.8, 132.0, 130.6, 129.2 (dd, $J$ = 6.4, 5.1 Hz), 124.5 (d, $J$ = 5.5 Hz), 122.4, 117.0, 116.3, 116.0, 90.1 (dd, $J$ = 34.5, 23.1 Hz), 44.7, 32.1 (d, $J$ = 5.9 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.2 (d, $J$ = 45.1 Hz), -93.6 (d, $J$ = 45.1 Hz); IR (neat) 1771, 1655, 1584, 1555, 1407 cm$^{-1}$; HRMS (ESI): calcd for $C_{15}H_{12}F_2NO^+$ $[M+H]^+$: 260.0881, found: 260.0877.

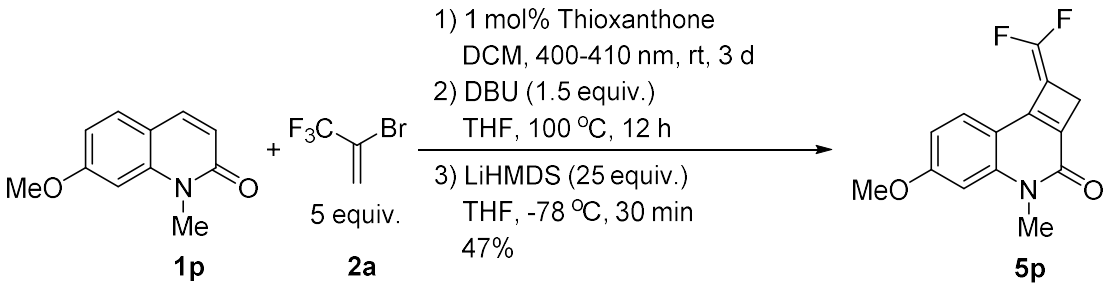
**11) 4-Phenyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5o)**




The reaction of **1o** (44 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), and LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), anhydrous THF (100 mL) afforded

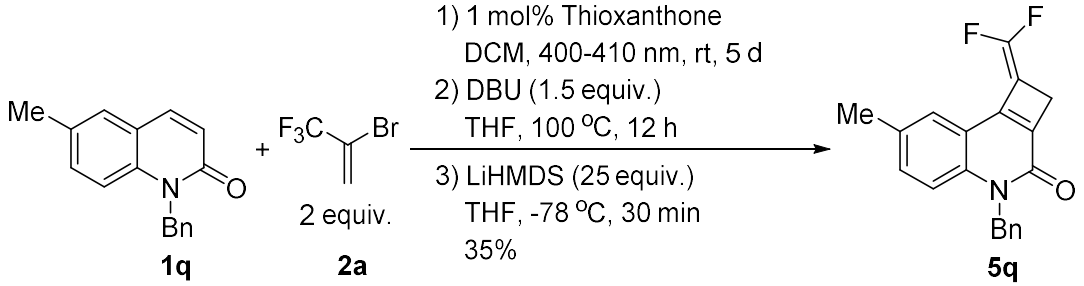
**5o** as a solid (41 mg, 69%). Mp: 216.1-216.9 ºC (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.76 (d, $J$ = 8.0 Hz, 1 H), 7.64-7.56 (m, 2 H), 7.55-7.49 (m, 1 H), 7.37-7.29 (m, 1 H), 7.28 -7.19 (m, 3 H), 6.67 (d, $J$ = 8.8 Hz, 1 H), 3.75 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.6, 150.1 (t, $J$ = 293.7 Hz), 148.2 (dd, $J$ = 8.5, 2.8 Hz), 143.8, 137.9, 130.2, 129.7 (t, $J$ = 5.5 Hz), 129.0, 128.9, 124.0 (d, $J$ = 5.5 Hz), 122.5, 117.4, 115.5, 90.1 (dd, $J$ = 34.3, 23.2 Hz), 32.3 (d, $J$ = 5.5 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.9 (d, $J$ = 44.0 Hz), -93.4 (d, $J$ = 44.0 Hz); IR (neat) 1776, 1655, 1582, 1551, 1492, 1444, 1422 cm$^{-1}$; HRMS (ESI): calcd for $C_{18}H_{12}F_2NO^+$ $[M+H]^+$: 296.0881, found: 296.0878.

**12) 4-Methyl-6-methoxy-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5p)**



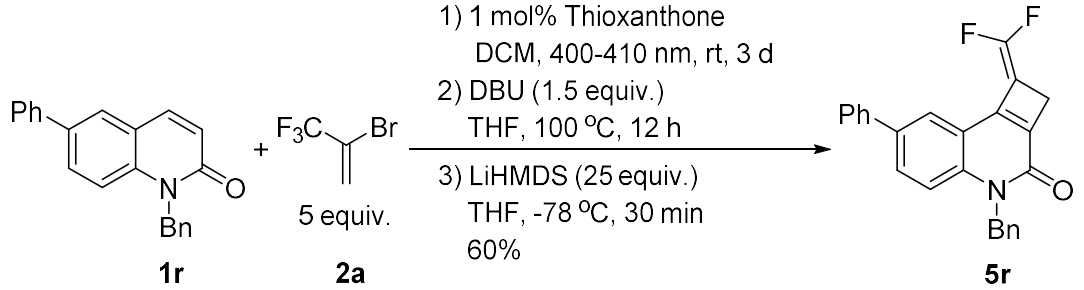

The reaction of **1p** (38 mg, 0.2 mmol), **2a** (104 μL, 1.0 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5p** as a solid (25 mg, 47%). Mp: 187.5-188.0 ºC (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.59 (d, $J$ = 8.0 Hz, 1 H), 6.84 (dd, $J$ = 8.6, 2.2 Hz, 1 H), 6.81 (d, $J$ = 1.6 Hz, 1 H), 3.92 (s, 3 H), 3.67 (s, 3 H), 3.64 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 161.6, 157.9, 150.0 (t, $J$ = 293.1 Hz), 146.9 (dd, $J$ = 8.5, 2.7 Hz), 144.1, 125.8 (t, $J$ = 5.8 Hz), 125.7 (d, $J$ = 5.2 Hz), 109.9, 109.8, 100.0, 90.0 (dd, $J$ = 34.1, 23.1 Hz), 55.5, 31.9 (d, $J$ = 5.6 Hz), 29.6; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.8 (d, $J$ = 47.0 Hz), -94.2 (d, $J$ = 46.2 Hz); IR (neat) 1777, 1668, 1629, 1588, 1551, 1516, 1464, 1422 cm$^{-1}$; HRMS (ESI): calcd for $C_{14}H_{12}F_2NO_2^+$ $[M+H]^+$: 264.0831, found: 264.0829.

**13) 4-Benzyl-7-methyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5q)**




The reaction of **1q** (50 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5q** as a white solid (23 mg, 35%). Mp: 157.1-157.3 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.51 (s, 1 H), 7.33-7.27 (m, 2 H), 7.26-7.15 (m, 5 H), 5.57 (brs, 2 H), 3.76 (s, 2 H), 2.38 (s, 3 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.8, 150.1 (t, $J$ = 293.2 Hz), 147.5 (dd, $J$ = 8.6, 3.3 Hz), 139.9, 136.6, 132.1, 132.0, 129.1 (t, $J$ = 5.7 Hz), 128.7, 127.2, 126.4, 124.2 (d, $J$ = 5.2 Hz), 116.4, 116.1, 90.1 (dd, $J$ = 34.3, 23.3 Hz), 46.0, 32.2 (d, $J$ = 5.5 Hz), 20.6; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -84.1 (d, $J$ = 45.9 Hz), -93.7 (d, $J$ = 44.7 Hz); IR (neat) 1775, 1660, 1585, 1560, 1454, 1435 cm$^{-1}$; HRMS (ESI): calcd for $C_{20}H_{16}F_2NO^+$ $[M+H]^+$: 324.1194, found: 324.1197.

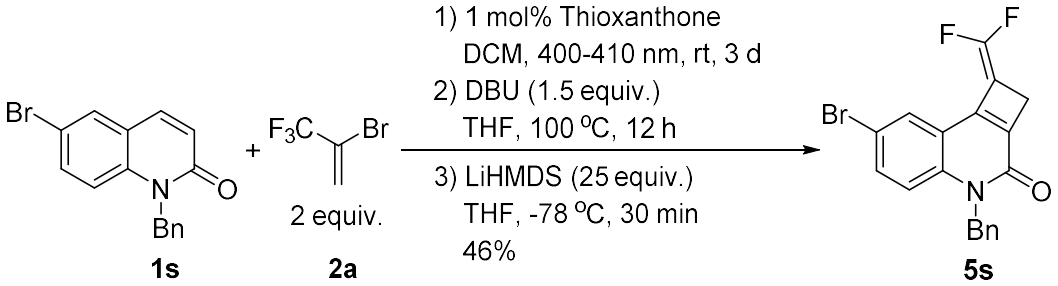
**14) 4-Benzyl-7-phenyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5r)**




The reaction of **1r** (62 mg, 0.2 mmol), **2a** (104 μL, 1.0 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5r** as a solid (46 mg, 60%). Mp: 155.4-155.8 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.93 (s, 1 H), 7.66 (dd, $J$ = 8.8, 2.0 Hz, 1 H), 7.57 (d, $J$ = 7.2 Hz, 2 H), 7.44 (t, $J$ = 7.6 Hz, 2 H), 7.41-7.30 (m, 3 H), 7.29-7.19 (m, 4 H), 5.60 (s,

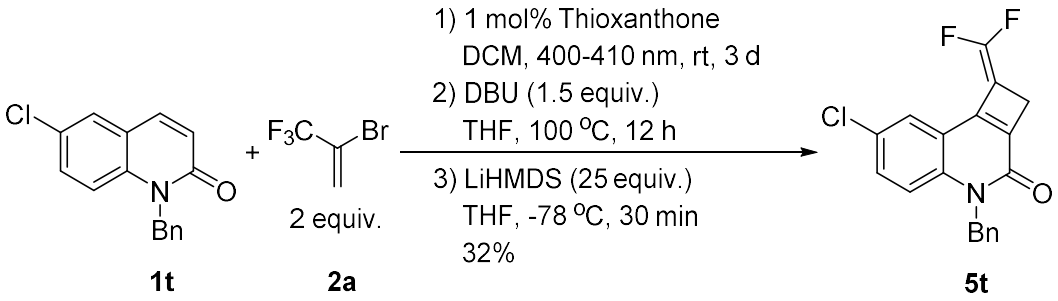
2 H), 3.79 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.7, 150.2 (t, $J$ = 293.5 Hz), 147.9 (dd, $J$ = 8.5, 3.1 Hz), 141.1, 139.4, 136.5, 135.4, 129.6, 129.5, 129.0, 128.8, 127.6, 127.3, 126.8, 126.5, 122.3 (d, $J$ = 5.6 Hz), 116.9, 116.4, 90.2 (dd, $J$ = 34.3, 23.2 Hz), 46.1, 32.3 (d, $J$ = 5.9 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.7 (d, $J$ = 45.1 Hz), -93.3 (d, $J$ = 45.1 Hz); IR (neat) 1775, 1661, 1556, 1495, 1453, 1424 cm$^{-1}$; HRMS (ESI): calcd for $C_{25}H_{18}F_2NO^+$ $[M+H]^+$: 386.1351, found: 386.1355.

**15) 4-Benzyl-7-bromo-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5s)**



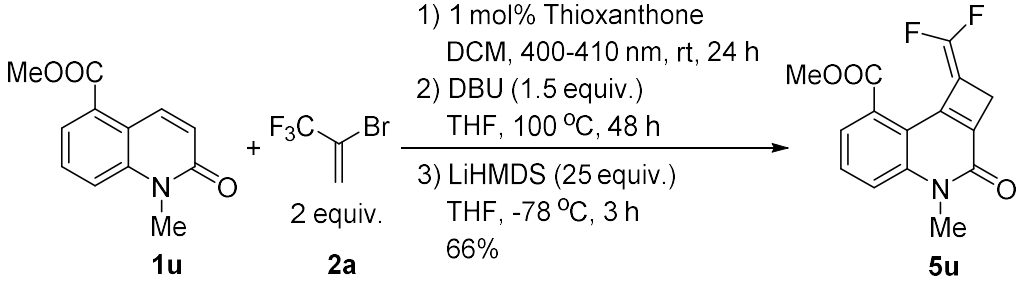

The reaction of **1s** (63 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), and DBU (45 μL, 1.0 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5s** as a solid (36 mg, 46%). Mp: 163.3-163.5 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.81 (s, 1 H), 7.49 (dd, $J$ = 9.0, 2.2 Hz, 1 H), 7.33-7.13 (m, 6 H), 5.54 (s, 2 H), 3.77 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.5, 150.2 (t, $J$ = 294.3 Hz), 146.7 (dd, $J$ = 8.7, 3.0 Hz), 140.8, 136.1, 133.5, 130.4 (t, $J$ = 5.6 Hz), 128.9, 127.4, 126.9 (d, $J$ = 5.9 Hz), 126.4, 118.2, 117.4, 115.6, 89.9 (dd, $J$ = 34.5, 23.6 Hz), 46.1, 32.4 (d, $J$ = 5.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.2 (d, $J$ = 43.2 Hz), -92.6 (d, $J$ = 43.6 Hz); IR (neat) 1774, 1665, 1578, 1548, 1496, 1456, 1445, 1417 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}BrF_2NO^+$ $[M+H]^+$: 388.0143, found: 388.0160.

**16) 4-Benzyl-7-chloro-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5t)**




The reaction of **1t** (54 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5t** as a solid (22 mg, 32%). Mp: 163.4-163.6 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.66 (s, 1 H), 7.36 (dd, $J$ = 9.2, 2.4 Hz, 1 H), 7.33-7.27 (m, 2 H), 7.26-7.20 (m, 2 H), 7.16 (d, $J$ = 6.8 Hz, 2 H), 5.55 (s, 2 H), 3.77 (s, 2 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 157.5, 150.2 (t, $J$ = 294.1 Hz), 146.8 (dd, $J$ = 8.7, 2.7 Hz), 140.4, 136.1, 130.7, 130.4 (t, $J$ = 5.8 Hz), 128.9, 128.1, 127.4, 126.4, 123.8 (d, $J$ = 6.0 Hz), 117.9, 117.0, 89.9 (dd, $J$ = 34.8, 23.4 Hz), 46.2, 32.4 (d, $J$ = 5.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.3 (d, $J$ = 43.2 Hz), -92.7 (d, $J$ = 43.2 Hz); IR (neat) 1777, 1661, 1550, 1496, 1454, 1422 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{13}ClF_2NO^+$ $[M+H]^+$: 344.0648, found: 344.0649.

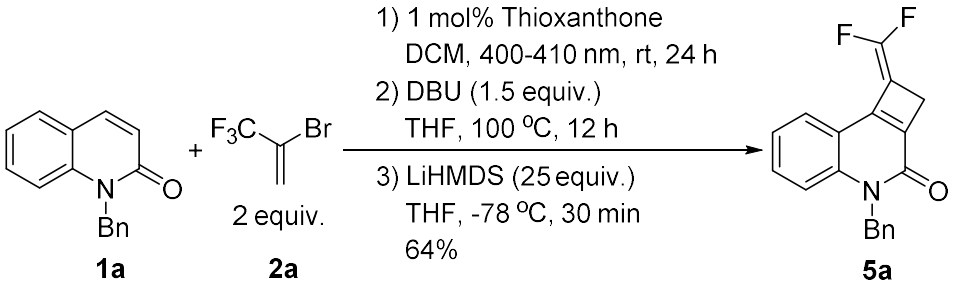
**17) 4-Methyl-8-methoxycarbonyl-1-(difluoromethylene)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (5u)**




The reaction of **1u** (43 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), anhydrous DCM (2 mL), DBU (45 μL, 0.3 mmol), anhydrous THF (1 mL), LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol), and anhydrous THF (100 mL) afforded **5u** as a solid (38 mg, 66%). Mp: 161.3-161.5 °C (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.66-7.56 (m, 3 H), 3.95 (s, 3 H), 3.76 (s, 3 H), 3.67 (s, 2

H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 167.1, 157.1, 150.0 (dd, $J$ = 297.0, 288.5 Hz), 145.6 (dd, $J$ = 8.5, 2.2 Hz), 142.9, 132.2 (t, $J$ = 4.9 Hz), 129.5, 129.1, 123.7, 118.8, 114.3, 91.1 (dd, $J$ = 38.9, 18.6 Hz), 52.5 (d, $J$ = 3.3 Hz), 32.4 (d, $J$ = 4.8 Hz), 30.0; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -83.6 (d, $J$ = 41.7 Hz), -93.1 (d, $J$ = 41.4 Hz); IR (neat) 1772, 1728, 1660, 1580, 1556, 1434 cm$^{-1}$; HRMS (ESI): calcd for $C_{15}H_{12}F_2NO_3^+$ $[M+H]^+$: 292.0780, found: 292.0776.

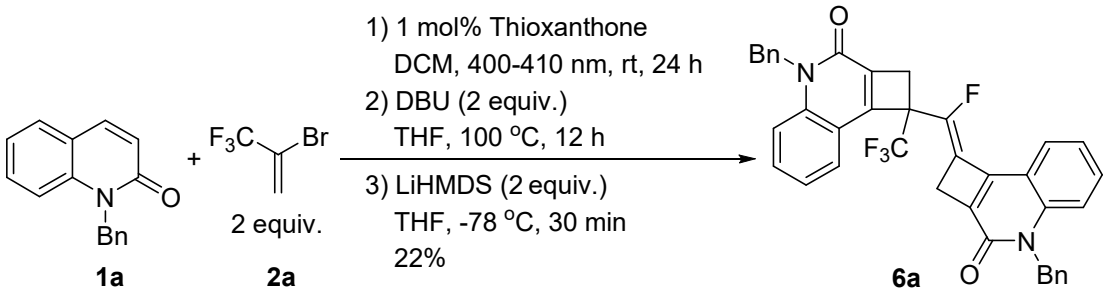
**Mmol-scale reaction of 1a under Condition B**




To an oven-dried 50 mL of sealed tube were added **1a** (471 mg, 2.0 mmol), **2a** (415 μL, 4.0 mmol), thioxanthone (4.0 mg, 0.02 mmol), and anhydrous DCM (20 mL). The reaction was irradiated by purple LED (λ = 400-410 nm) under an argon atmosphere at room temperature. The reaction was completed after 24 h as monitored by TLC (petroleum ether/ethyl acetate/dichloromethane = 5:1:1). DCM was removed in vacuo, anhydrous THF (10 mL) and DBU (448 μL, 3.0 mmol) were added to the residue subsequently. The reaction mixture was stirred at 100 °C. The reaction was completed after 12 h as monitored by TLC (petroleum ether/ethyl acetate/dichloromethane = 5:1:1). The mixture was cannulated under argon atmosphere to a 2000 mL of Schlenk flask containing anhydrous THF (1000 mL). LiHMDS (1.0 M in THF, 50 mL, 50.0 mmol) was added to the solution at -78 °C. The reaction was stirred at this temperature for 30 min. The cooling bath was then removed and the reaction was quenched with $H_2O$ (20 mL). The mixture was stirred for another 30 min until the reaction mixture reached room temperature. Then THF was removed by rotary evaporator, the residue was washed with saturated aqueous $NH_4Cl$ (50 mL) and extracted with ethyl acetate (50 mL x 3). The combined organic layer was dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (petroleum

ether/ethyl acetate/dichloromethane = 5:1:1) afforded **5a** as a white solid (398 mg, 64%).

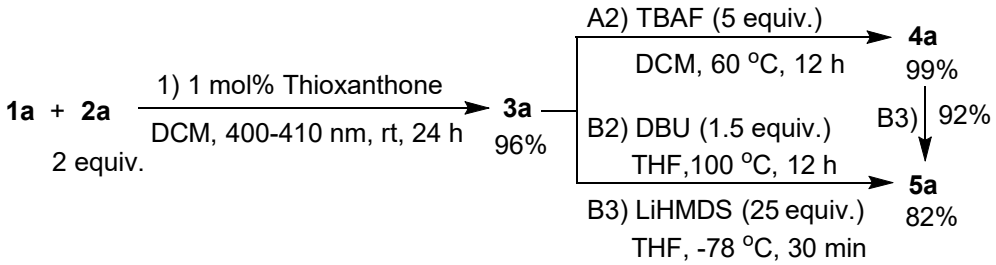
**Synthesis of (*Z*)-4-benzyl-1-((4-benzyl-3-oxo-3,4-dihydrocyclobuta[c]quinolin-1(*2H*)-ylidene)fluoromethyl)-1-(trifluoromethyl)-2,4-dihydrocyclobuta[c]quinolin-3(*1H*)-one (6a)**




To an oven-dried 10 mL of sealed tube were added **1a** (47 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), and anhydrous DCM (2 mL). The reaction was irradiated by purple LED (λ = 400-410 nm) under an argon atmosphere at room temperature. The reaction was completed after 24 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). DCM was removed in vacuo, anhydrous THF (1 mL) and DBU (60 μL, 0.4 mmol) were added to the residue subsequently. The reaction mixture was stirred at 100 °C. The reaction was completed after 12 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). The mixture was cannulated under argon atmosphere to a 25 mL of Schlenk tube containing anhydrous THF (10 mL). LiHMDS (1.0 M in THF, 0.4 mL, 0.4 mmol) was added to the solution at -78 °C. The reaction was stirred at this temperature for 30 min. The cooling bath was then removed and the mixture was stirred for another 30 min until the reaction mixture reached room temperature. Then the reaction mixture was washed with $H_2O$ (20 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 2:1) afforded **6a** as a white solid (27 mg, 22%). Mp: 193.9-194.2 °C (petroleum ether/ethyl acetate). $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.98 (d, $J$ = 7.6 Hz, 1 H), 7.82 (d, $J$ = 8.0 Hz, 1 H), 7.54-7.46 (m, 1 H), 7.45-7.37 (m, 2 H), 7.36-7.15 (m, 13 H), 5.75-5.47 (m, 4 H), 3.85-3.62 (m, 4 H), $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 158.0, 157.6, 149.4, 148.1, 147.5, 144.9, 141.9

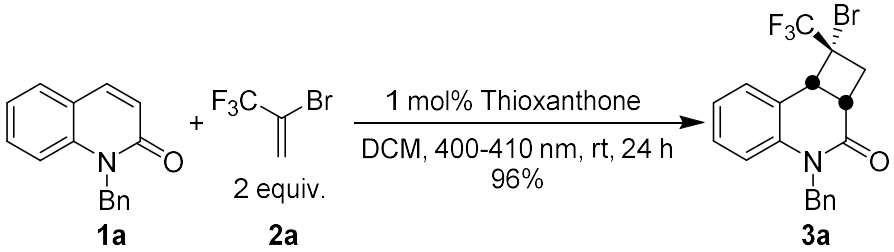
(d, $J$ = 4.4 Hz), 136.4, 136.0, 133.6, 131.8, 131.1, 130.7, 128.9, 128.8, 127.4, 127.3, 126.4, 125.8, 125.7, 124.7 (d, $J$ = 279.8 Hz), 124.6, 123.0, 122.5, 119.2 (d, $J$ = 21.0 Hz), 117.4, 116.7, 116.5, 116.1, 55.0 (dd, $J$ = 31.2, 28.1 Hz), 46.4, 46.2, 36.8, 34.8 (d, $J$ = 9.6 Hz); $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -70.8 (d, $J$ = 6.0 Hz), -101.6; IR (neat) 1678, 1658, 1598, 1586, 1559, 1497, 1451, 1399 $cm^{-1}$; HRMS (ESI): calcd for $C_{38}H_{26}F_4N_2NaO_2^+$ $[M+Na]^+$: 641.1823, found: 641.1825.

**Mechanistic verification experiments**



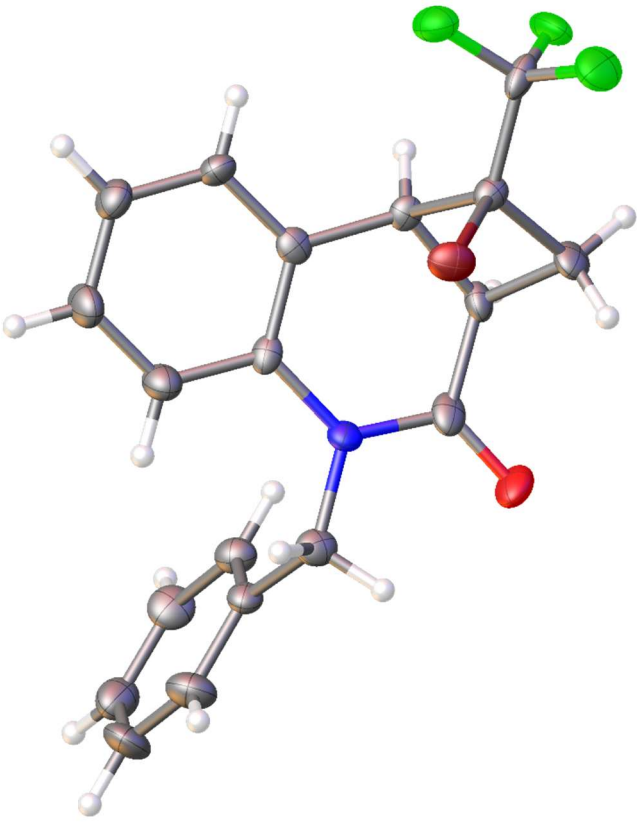

Mechanistic verification experiments were conducted as depicted above. Subjecting **1a** and **2a** to standard photoreaction condition yielded **3a** in an isolated yield of 96%. Treating **3a** under standard elimination Condition A2 afforded **4a** almost quantitatively (99% isolated yield). Meanwhile, treating **3a** under standard elimination Conditions B2 and B3 also afforded **5a** in an isolated yield of 82%. These results indicated that **3a** was the common intermediate of Conditions A and B. Consequently, **4a** was tested under standard elimination Condition B3. **5a** was furnished in an isolated yield of 92%, demonstrating that **4a** was another intermediate of Condition B. The above results are consistent with the initially proposed mechanism.

**Procedure for the transformation of 1a into 3a**




To an oven-dried 10 mL of sealed tube were added **1a** (47 mg, 0.2 mmol), **2a** (42 μL, 0.4 mmol), thioxanthone (0.4 mg, 0.002 mmol), and anhydrous DCM (2 mL). The reaction was irradiated by purple LED (λ = 400-410 nm) under an argon atmosphere at

room temperature. The reaction was completed after 24 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). The solvent was removed and the residue was purified by flash chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) to afford **3a** as a white solid (79 mg, 96%). Mp: 103.6-103.9 ºC (petroleum ether/ethyl acetate). $^{1}$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.36-7.15 (m, 6 H), 7.06-6.95 (m, 2 H), 6.92 (d, $J$ = 8.4 Hz, 1 H), 5.25 (AB, $J_{AB}$ = 16.4 Hz, $J_{BA}$ = 67.6 Hz, 2 H), 4.36-4.30 (m, 1 H), 3.62-3.48 (m, 2 H), 3.05-2.93 (m, 1 H); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 169.3, 140.3, 136.3, 129.5, 129.4, 128.7, 127.2, 126.4, 124.0 (q, $J$ = 279.1 Hz), 123.2, 120.0, 115.9, 61.5 (q, $J$ = 32.3 Hz), 46.1, 42.3 (q, $J$ = 1.9 Hz), 38.4 (q, $J$ = 1.9 Hz), 32.5; $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ -76.8; IR (neat) 1667, 1602, 1499, 1462 cm$^{-1}$; HRMS (ESI): calcd for $C_{19}H_{16}BrF_3NO^+$ $[M+H]^+$: 410.0362, found: 410.0378. Supplementary crystallographic data for **3a** have been deposited at the Cambridge Crystallographic Data Center. CCDC: 2076891.

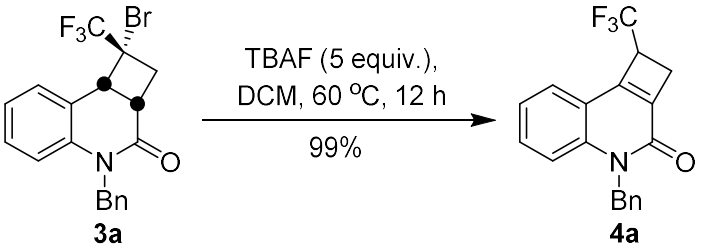


Ortep drawing with 50% ellipsoids for **3a**

***Crystal data***: $C_{19}H_{15}BrF_3NO$, M = 410.23, T = 150(2) K, Crystal system: Monoclinic,

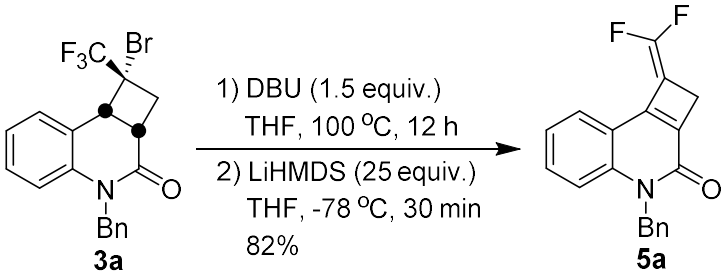
Space group: C2/c, a = 25.323(2) Å, b = 5.9171(4) Å, c = 25.206(2) Å, α = 90°, β = 114.569(2)°, γ = 90°, V = 3434.9(5) $Å^3$, Z = 8, R indices: R1 = 0.0950, wR2 = 0.1251.

**Procedure for the transformation of 3a into 4a**




To an oven-dried 10 mL of sealed tube were added **3a** (82 mg, 0.2 mmol), TBAF (1.0 M in THF, 1 mL, 1.0 mmol), and anhydrous DCM (2 mL). The reaction mixture was stirred at 60 °C for 12 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). After completion of the reaction, the mixture was washed with $H_2O$ (10 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) afforded **4a** as a white solid (65 mg, 99%).

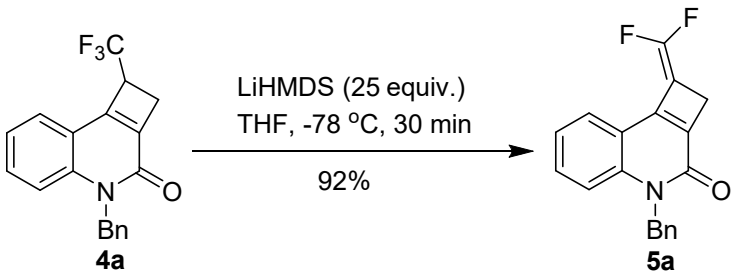
**Procedure for the transformation of 3a into 5a**




To an oven-dried 10 mL of sealed tube were added **3a** (82 mg, 0.2 mmol), DBU (45 μL, 0.3 mmol), and anhydrous THF (1 mL). The reaction mixture was stirred at 100 °C for 12 h as monitored by TLC (petroleum ether/ethyl acetate = 5:1). The mixture was cannulated under argon atmosphere to a 250 mL of Schlenk flask containing anhydrous THF (100 mL). LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol) was added to the solution at -78 °C. The reaction was stirred at this temperature for 30 min. The cooling bath was then removed and the reaction was quenched with $H_2O$ (10 mL). The mixture was stirred for another 30 min until the reaction mixture reached room temperature. Then

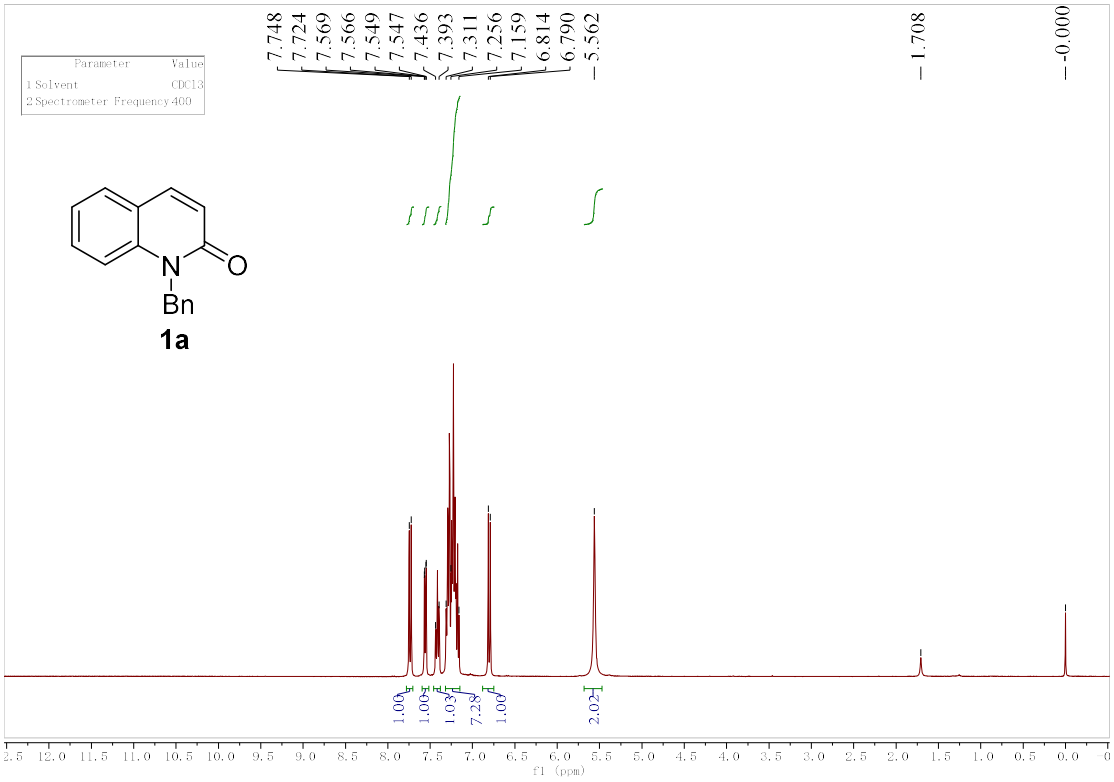
THF was removed by rotary evaporator, the residue was washed with saturated aqueous $NH_4Cl$ (20 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) afforded **5a** as a white solid (51 mg, 82%).

**Procedure for the transformation of 4a into 5a**




To an oven-dried 250 mL of Schlenk flask were added **4a** (66 mg, 0.2 mmol) and anhydrous THF (100 mL) under argon atmosphere. LiHMDS (1.0 M in THF, 5 mL, 5.0 mmol) was added to the solution at -78 °C. The reaction was stirred at this temperature for 30 min. The cooling bath was then removed and the reaction was quenched with $H_2O$ (10 mL). The mixture was stirred for another 30 min until the reaction mixture reached room temperature. Then THF was removed by rotary evaporator, the residue was washed with saturated aqueous $NH_4Cl$ (20 mL) and extracted with ethyl acetate (20 mL x 3). The combined organic layer was washed with brine, dried over $MgSO_4$ and concentrated in vacuo. Further purification by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) afforded **5a** as a white solid (57 mg, 92%).

## NMR Spectra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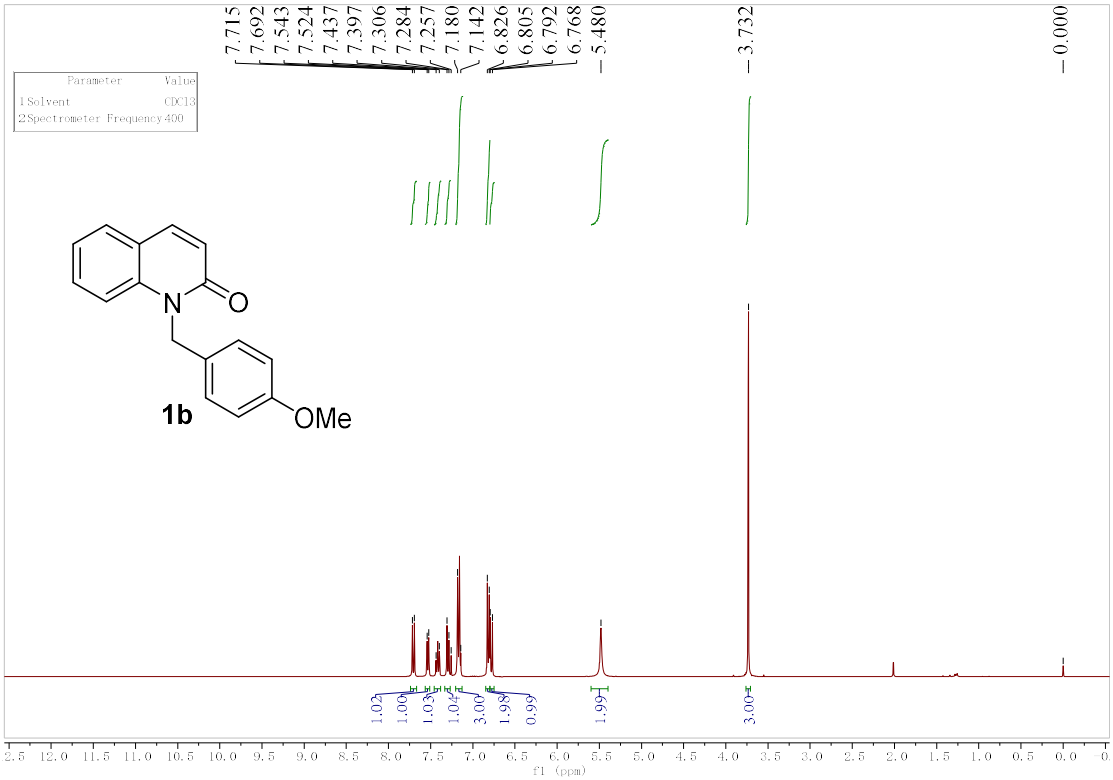
7.547
7.436
7.393
7.311
7.256
7.159
6.814
6.790
5.562
1.708
-0.000
N
O
Bn
1a
1.00
1.00
1.03
7.28
1.00
2.02
f1 (ppm)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7.257
7.180
7.142
6.826
6.805
6.792
6.768
5.480
3.732
0.000
N
O
1b
OMe
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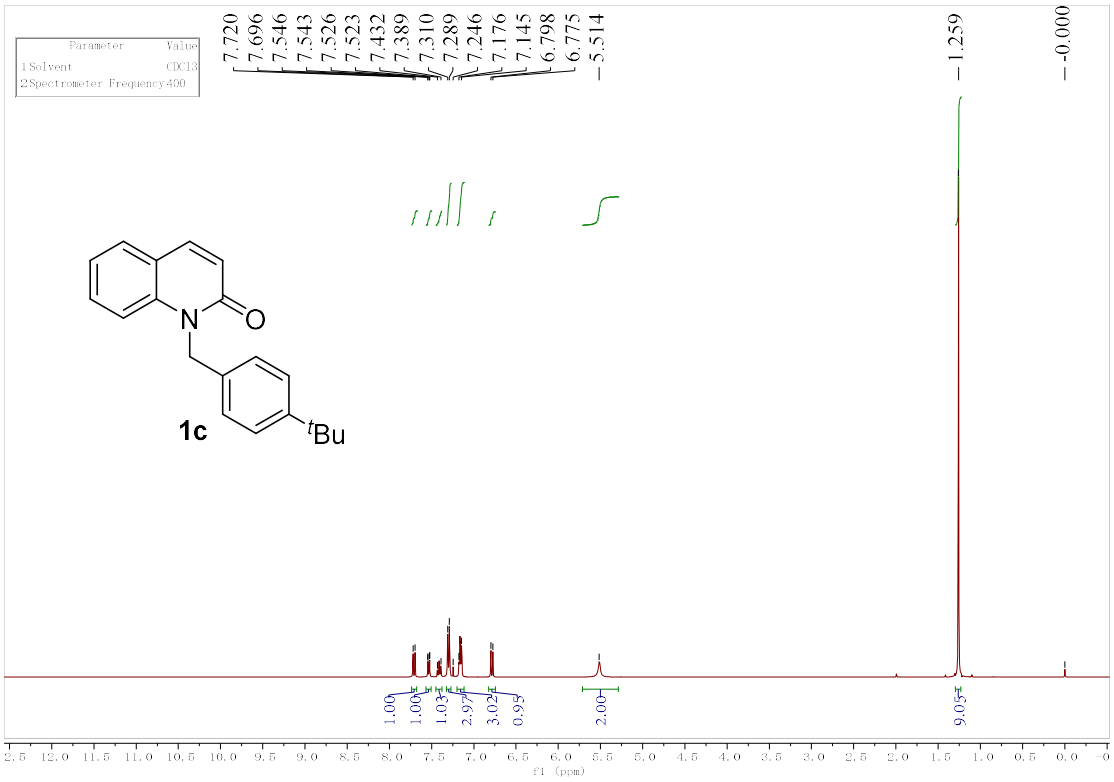
1.00
1.03
1.04
3.00
1.98
0.99
1.99
3.00
f1 (ppm)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7.523
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7.310
7.289
7.246
7.176
7.145
6.798
6.775
5.514
1.259
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tBu
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1.00
1.03
2.97
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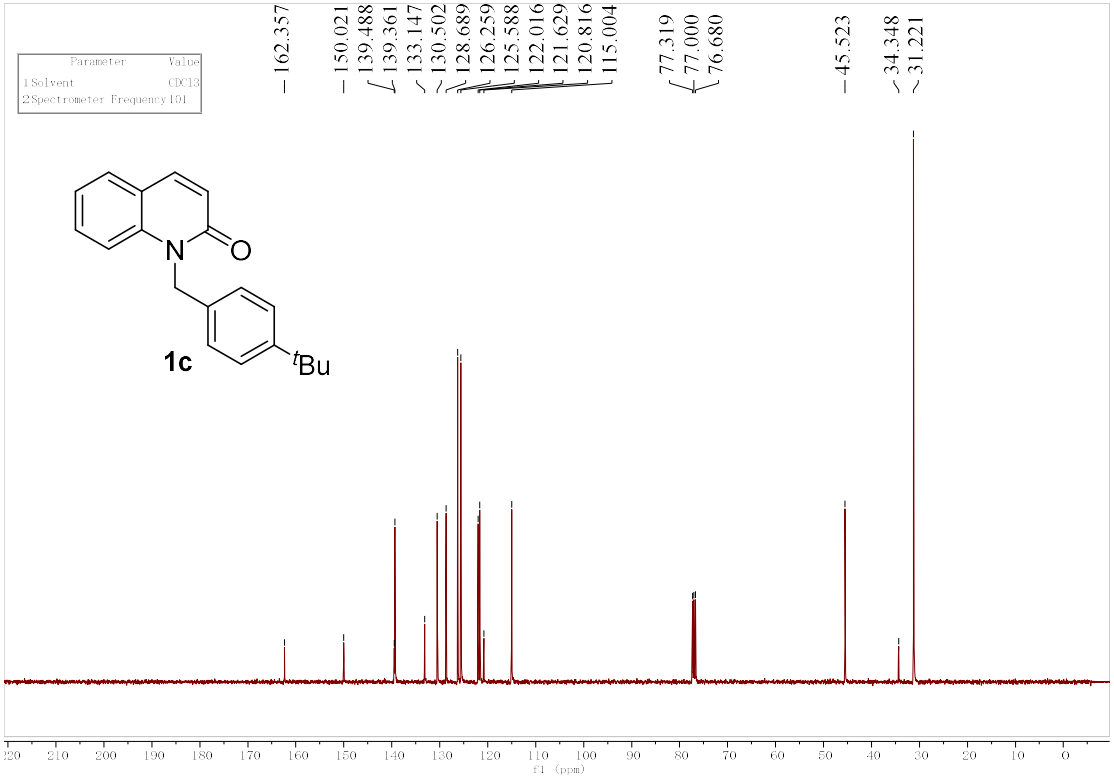
2.00
9.05
f1 (ppm)


Parameter Value
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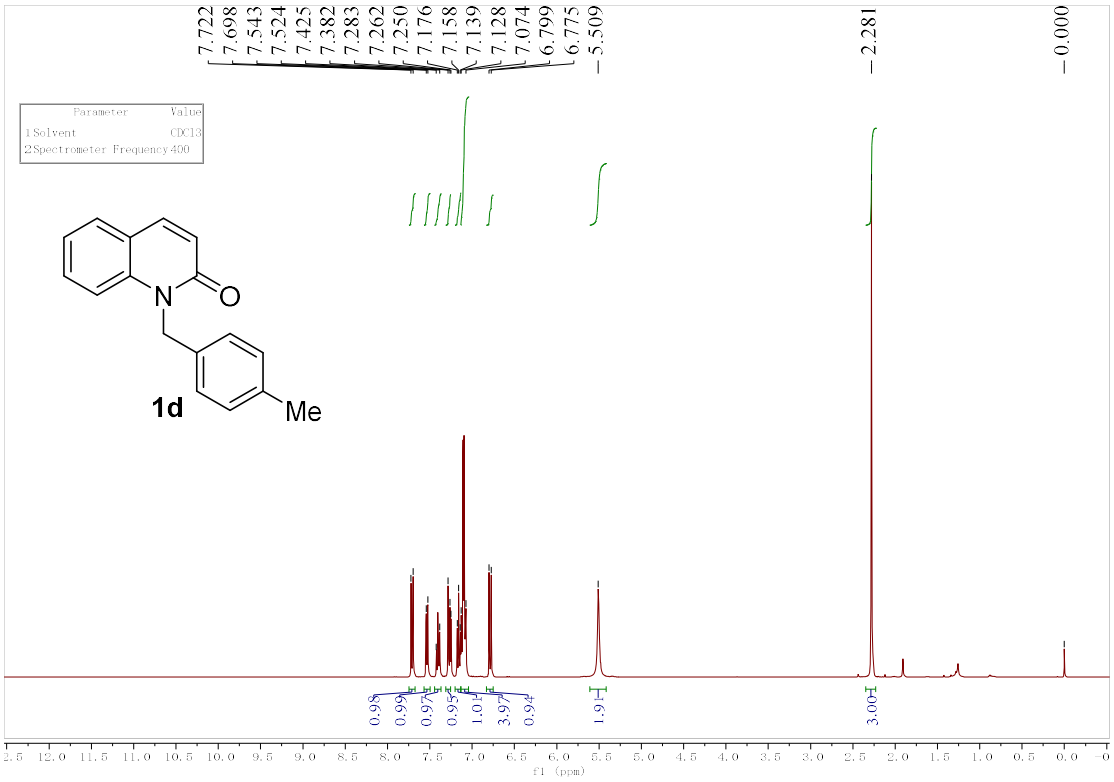
tBu
f1 (ppm)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6.775
5.509
2.281
0.000
Parameter Value
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N
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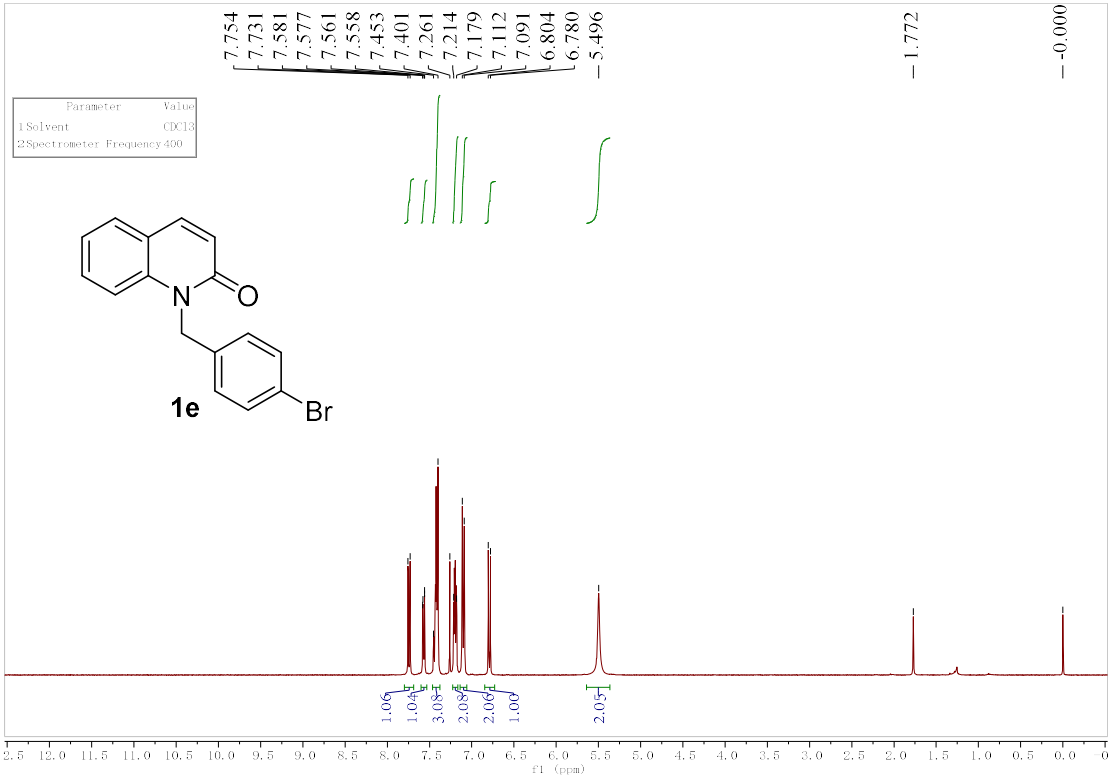
f1 (ppm)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7.091
6.804
6.780
5.496
1.772
-0.000
Parameter Value
1 Solvent CDCl3
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Br
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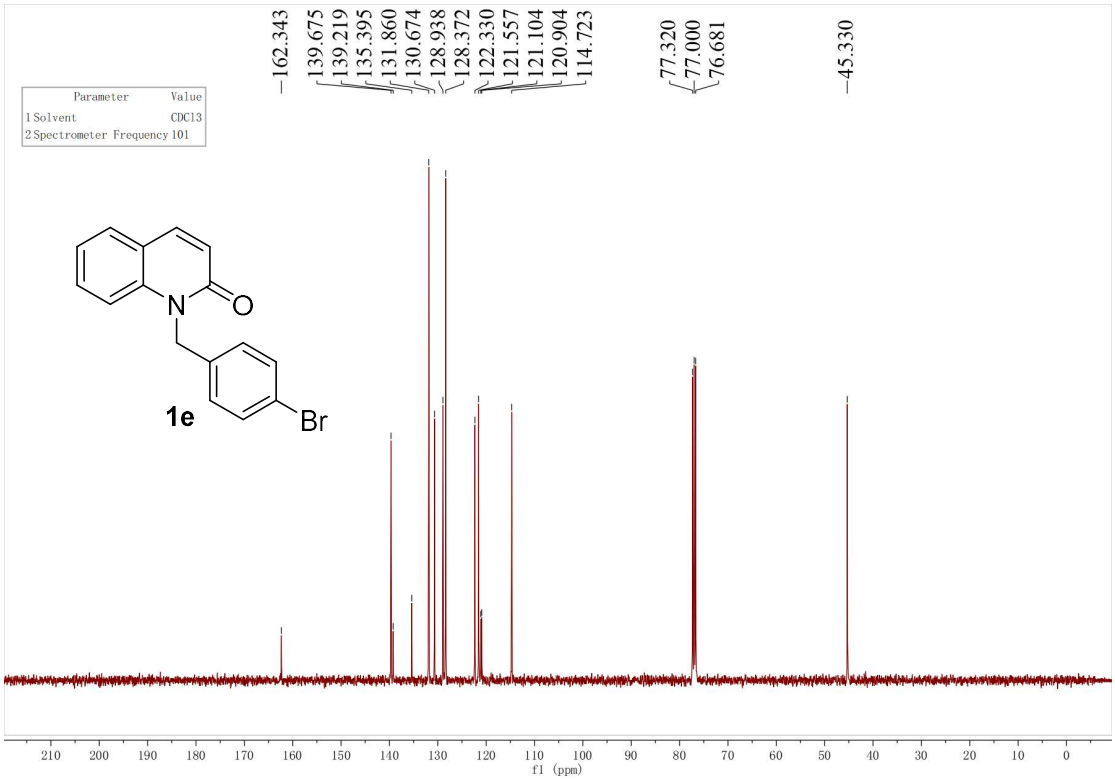
2.06
1.00
2.05
f1 (ppm)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135.395
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130.674
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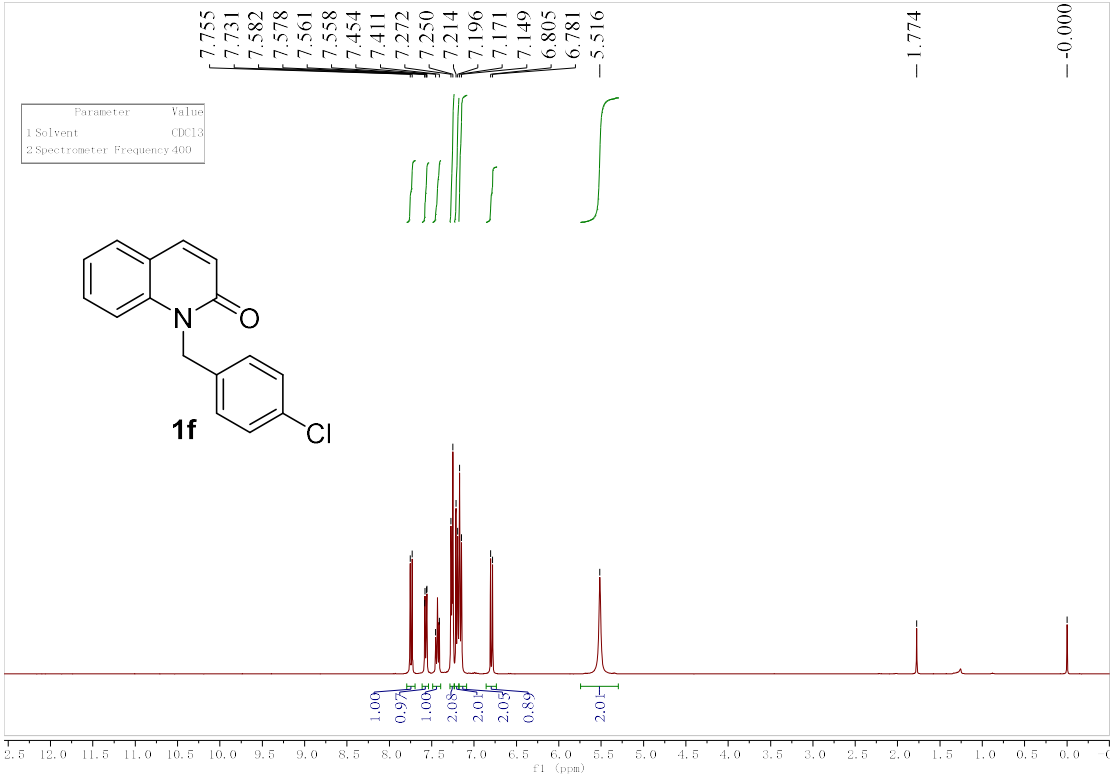
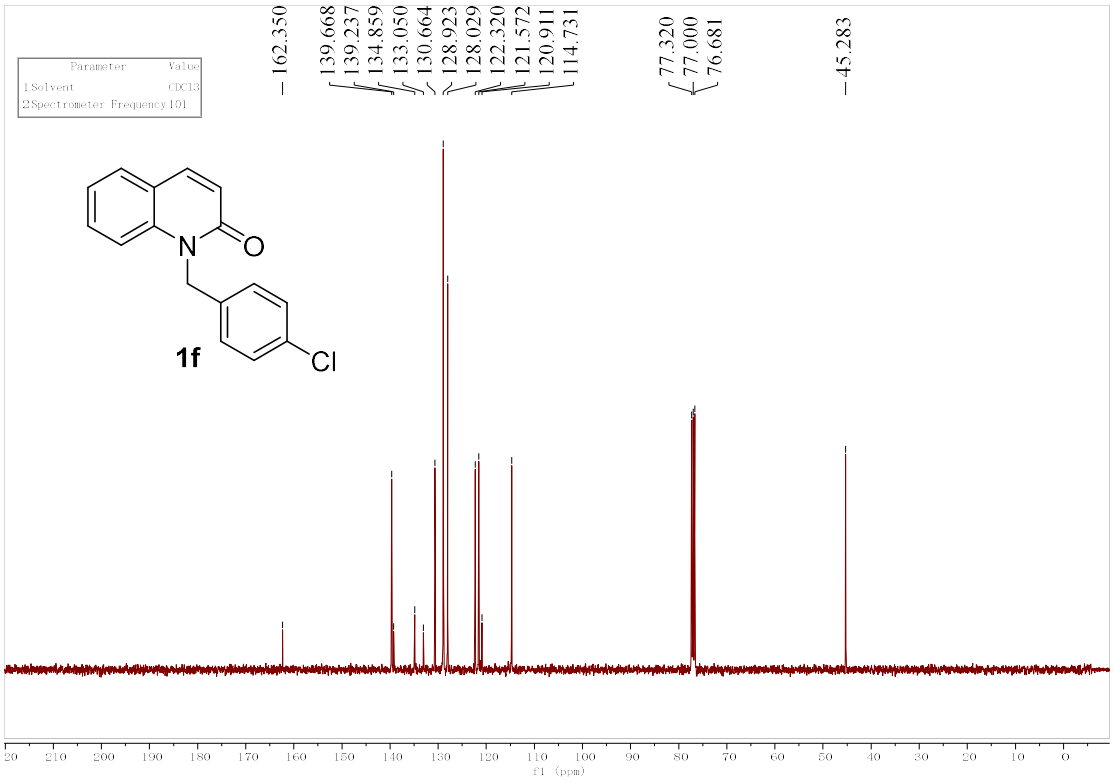
121.557
121.104
120.904
114.723
77.320
77.000
76.681
45.330
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
N
O
Br
1e
f1 (ppm)


7.755
7.731
7.582
7.578
7.561
7.558
7.454
7.411
7.272
7.250
7.214
7.196
7.171
7.149
6.805
6.781
5.516
1.774
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
Cl
1f
1.00
0.97
1.06
2.05
2.07
2.03
0.89
2.01
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
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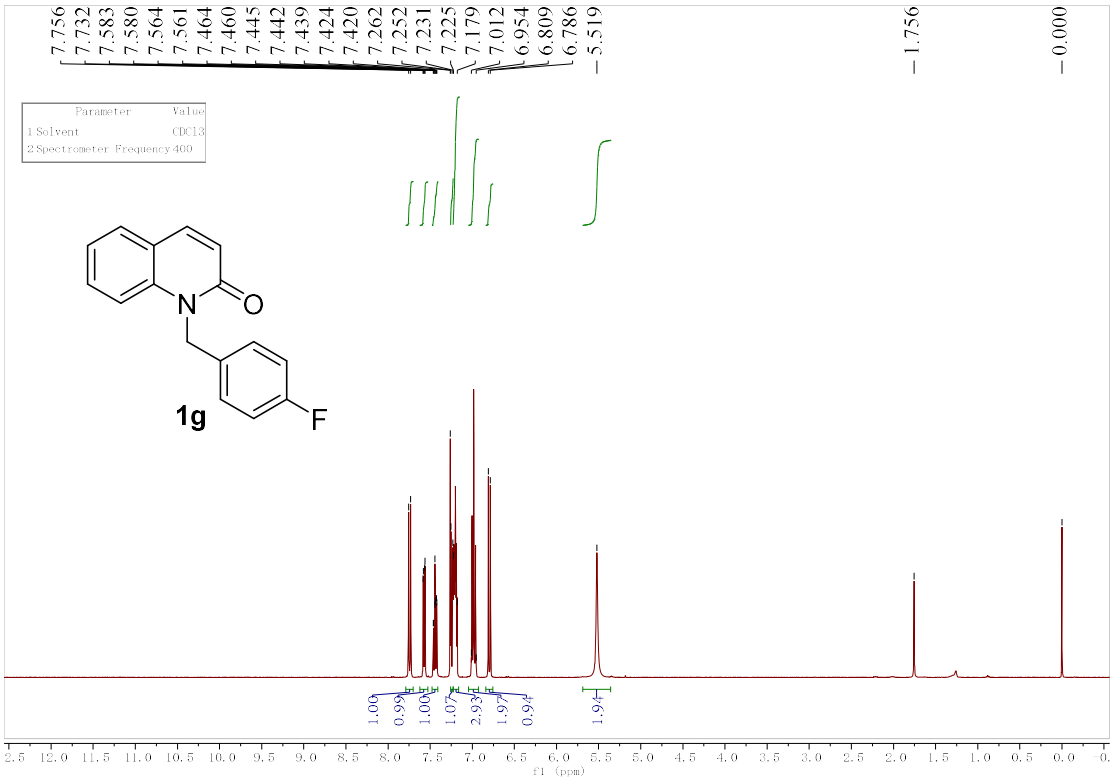
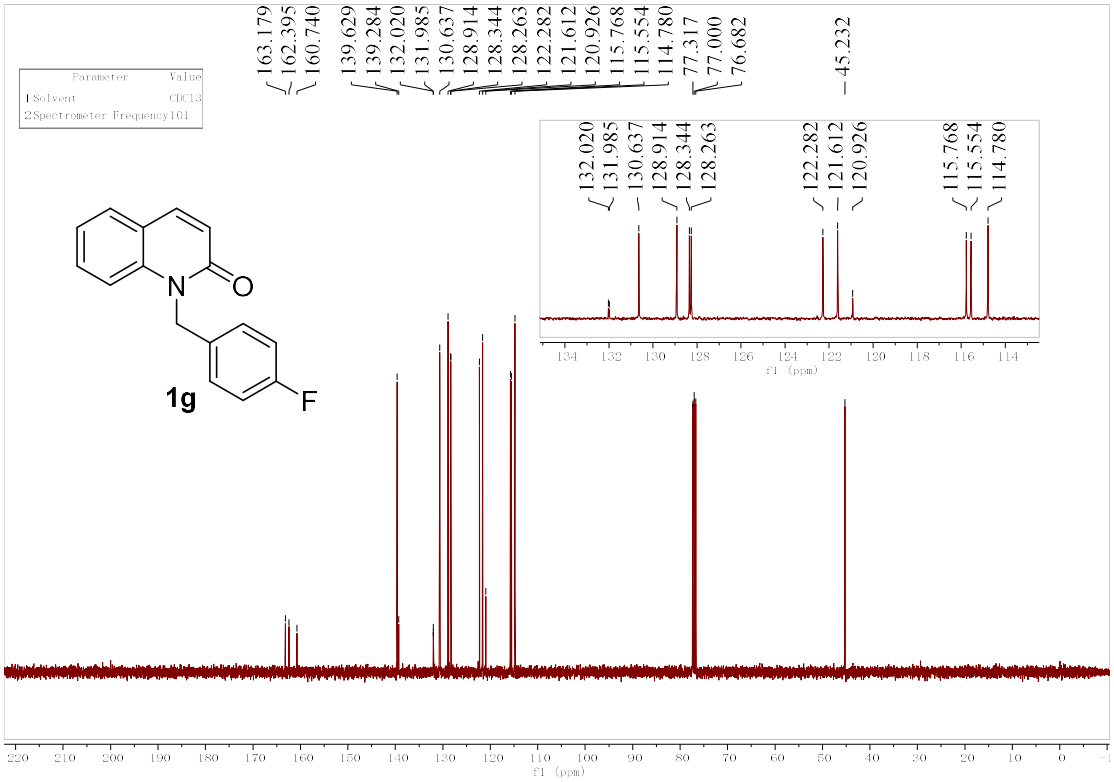
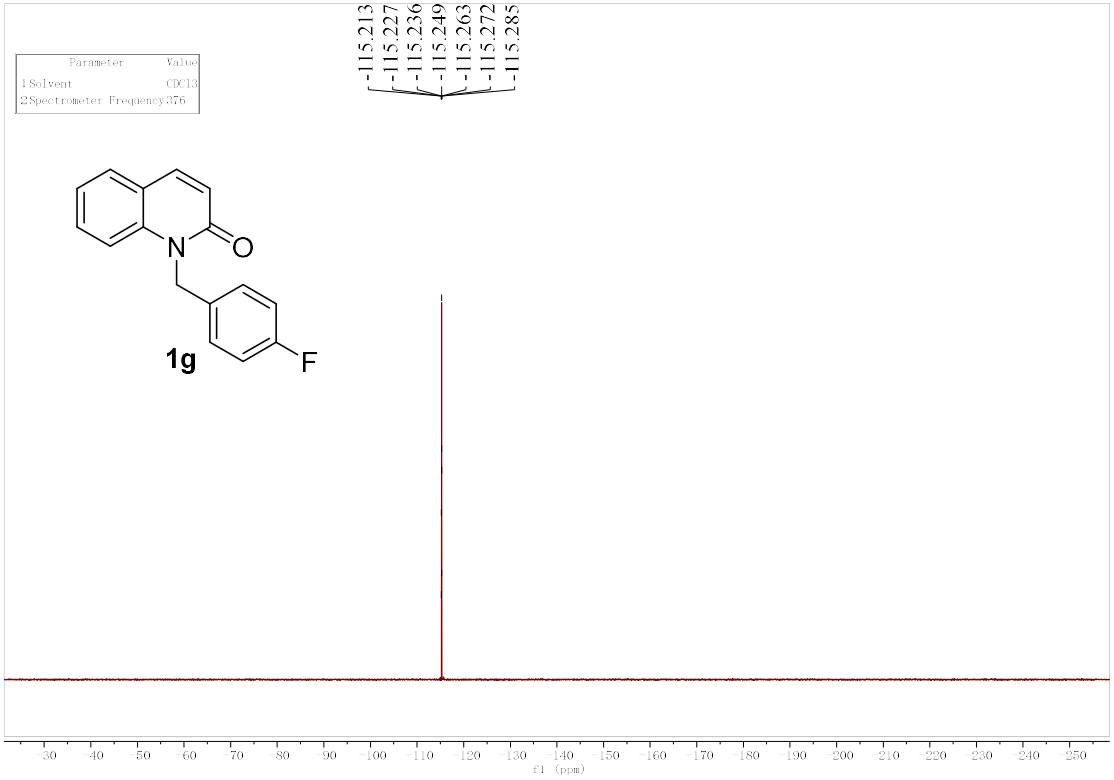
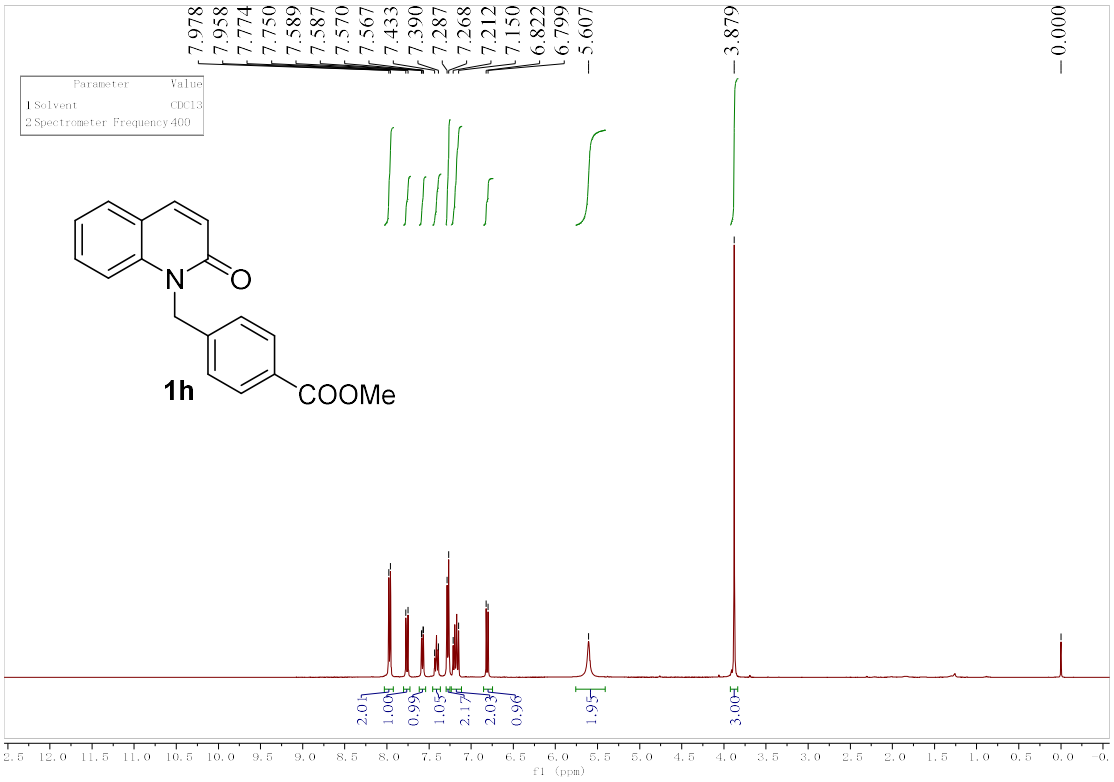
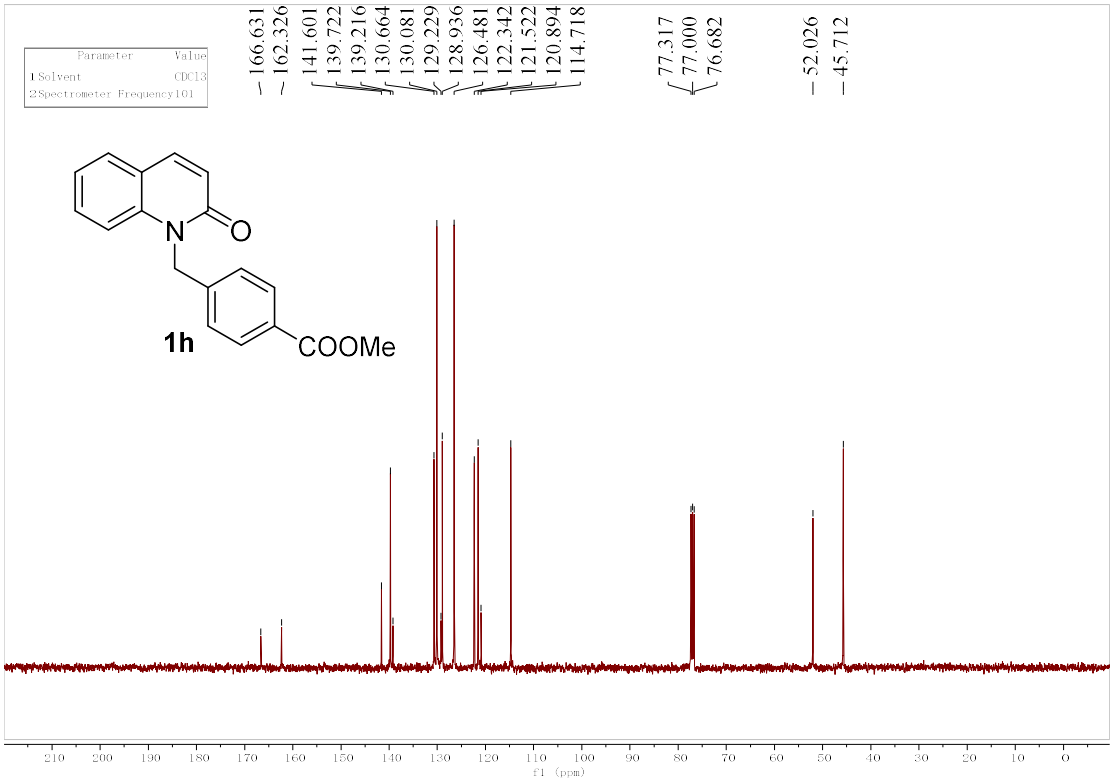
139.237
134.859
133.050
130.664
128.923
128.029
122.320
121.572
120.911
114.731
77.320
77.000
76.681
45.283
N
O
Cl
1f
f1 (ppm)

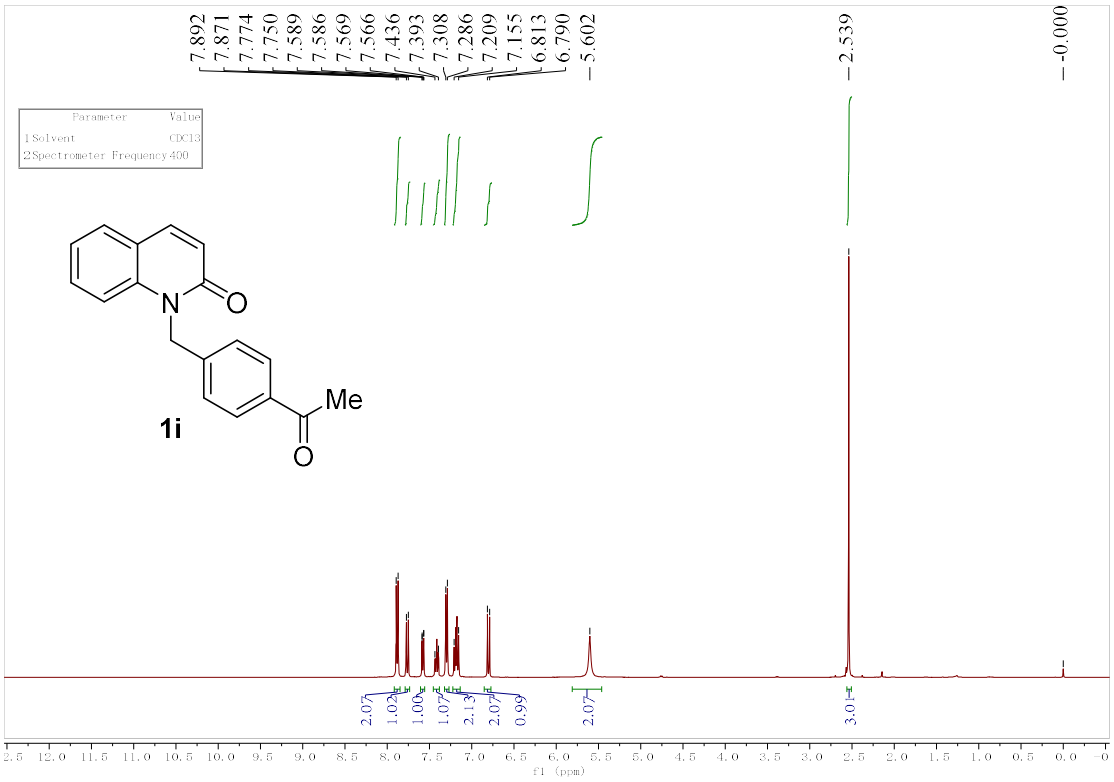

7.756
7.732
7.583
7.580
7.564
7.561
7.464
7.460
7.445
7.442
7.439
7.424
7.420
7.262
7.252
7.231
7.225
7.179
7.012
6.954
6.809
6.786
5.519
1.756
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
F
1g
1.00
0.99
1.00
1.07
2.93
1.97
0.94
1.94
f1 (ppm)

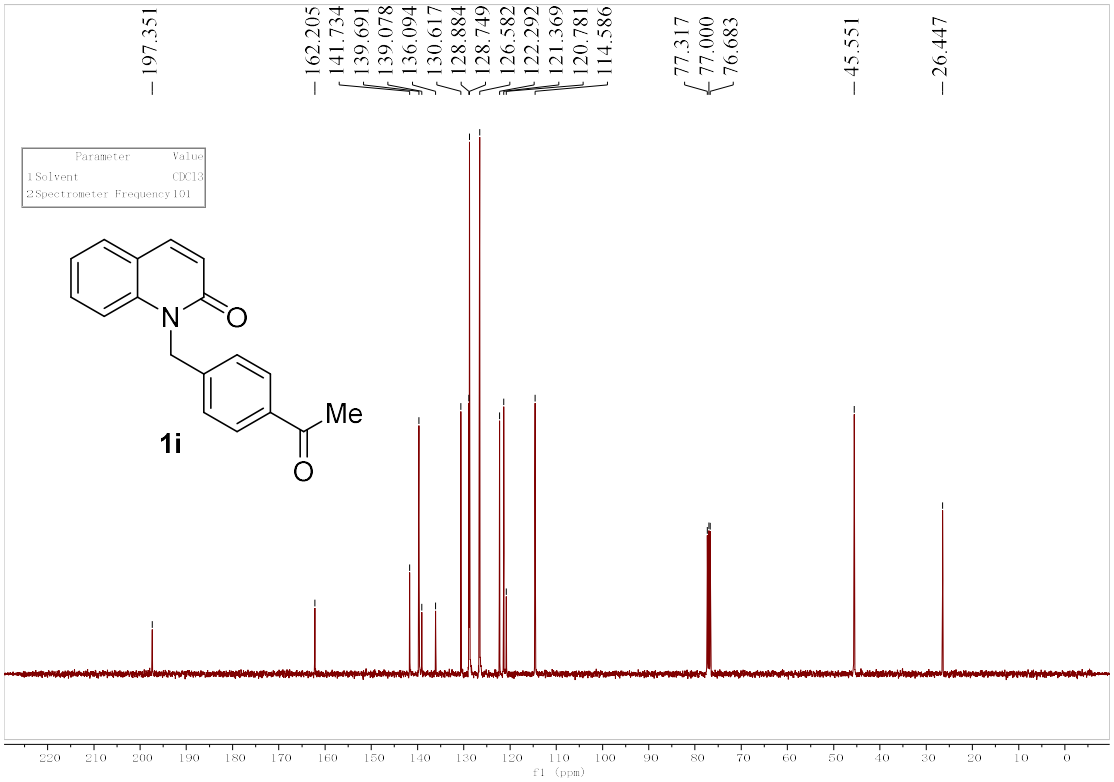

163.179
162.395
160.740
139.629
139.284
132.020
131.985
130.637
128.914
128.344
128.263
122.282
121.612
120.926
115.768
115.554
114.780
77.317
77.000
76.682
45.232
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
1g
F
f1 (ppm)

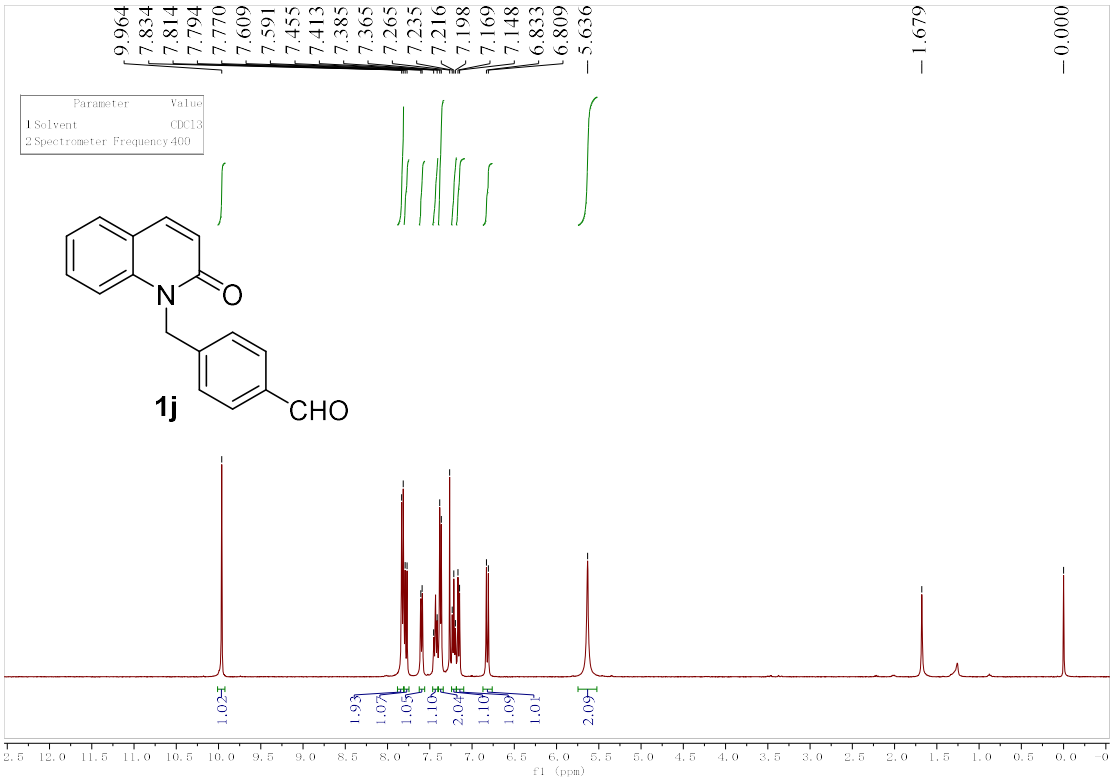

-115.213
-115.227
-115.236
-115.249
-115.263
-115.272
-115.285
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
1g
F
f1 (ppm)

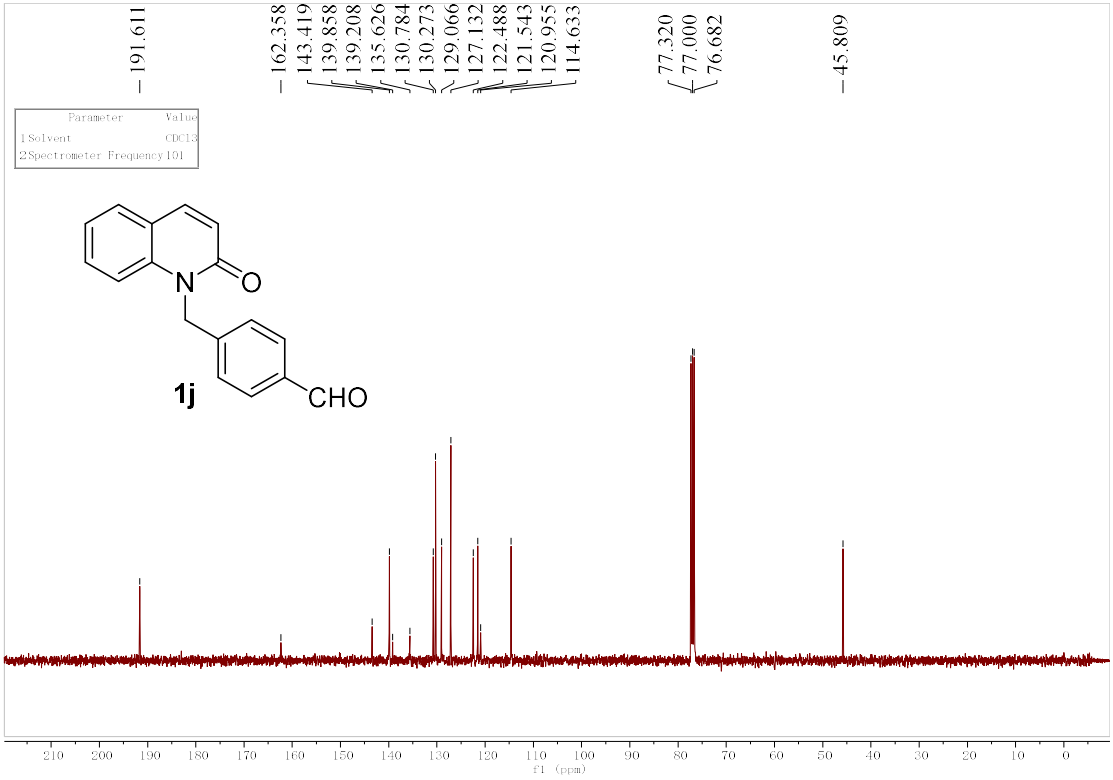

7.978
7.958
7.774
7.750
7.589
7.587
7.570
7.567
7.433
7.390
7.287
7.268
7.212
7.150
6.822
6.799
5.607
3.879
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
1h
COOMe
f1 (ppm)

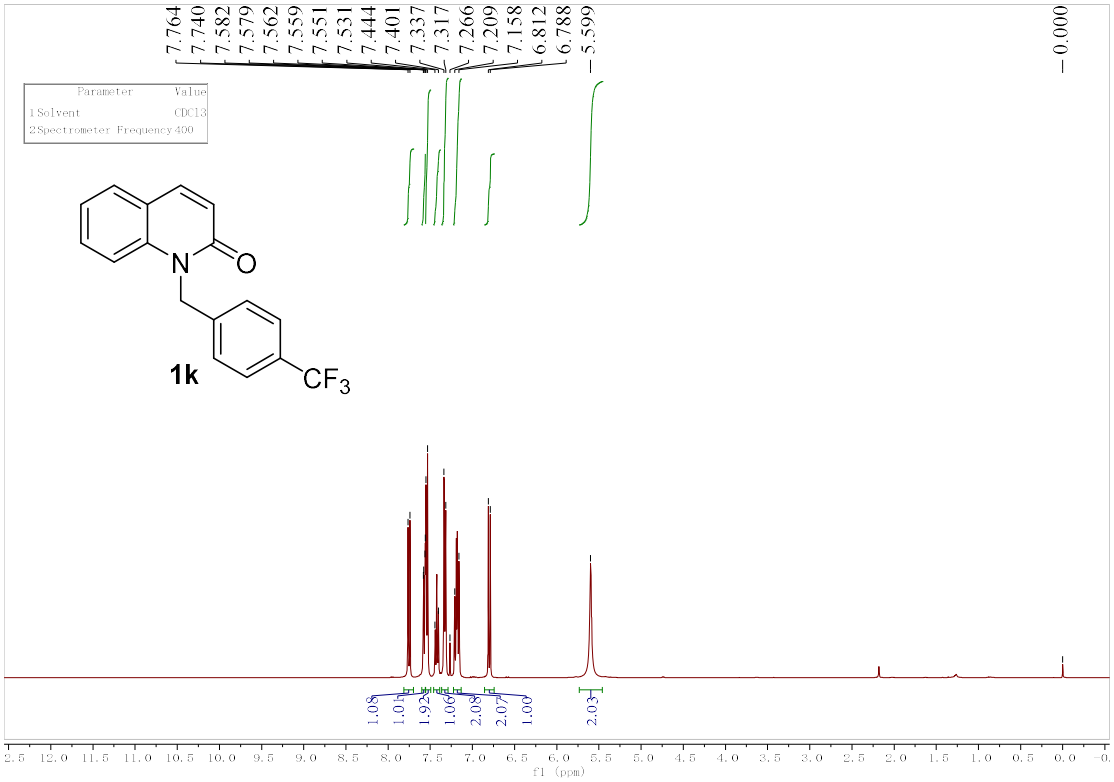

166.631
162.326
141.601
139.722
139.216
130.664
130.081
129.229
128.936
126.481
122.342
121.522
120.894
114.718
77.317
77.000
76.682
52.026
45.712
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
N
O
1h
COOMe
f1 (ppm)

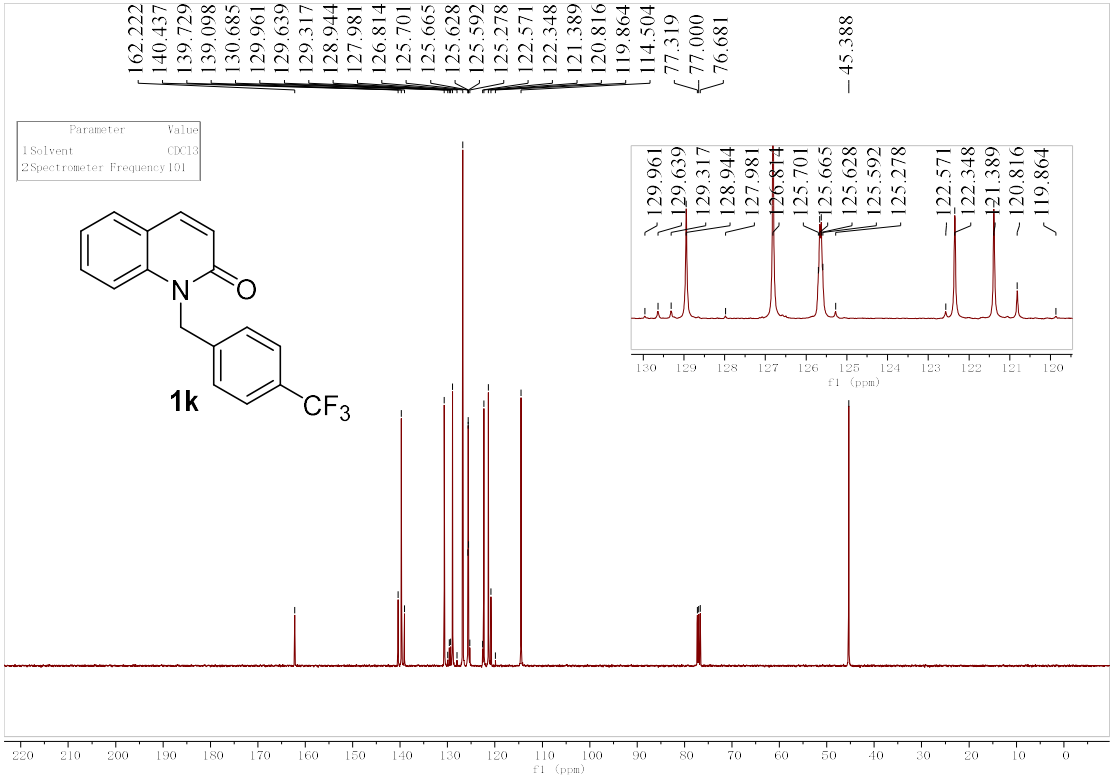

7.892
7.871
7.774
7.750
7.589
7.586
7.569
7.566
7.436
7.393
7.308
7.286
7.209
7.155
6.813
6.790
5.602
2.539
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
Me
O
1i
2.07
1.02
1.00
1.07
2.13
2.07
0.99
2.07
3.01
f1 (ppm)

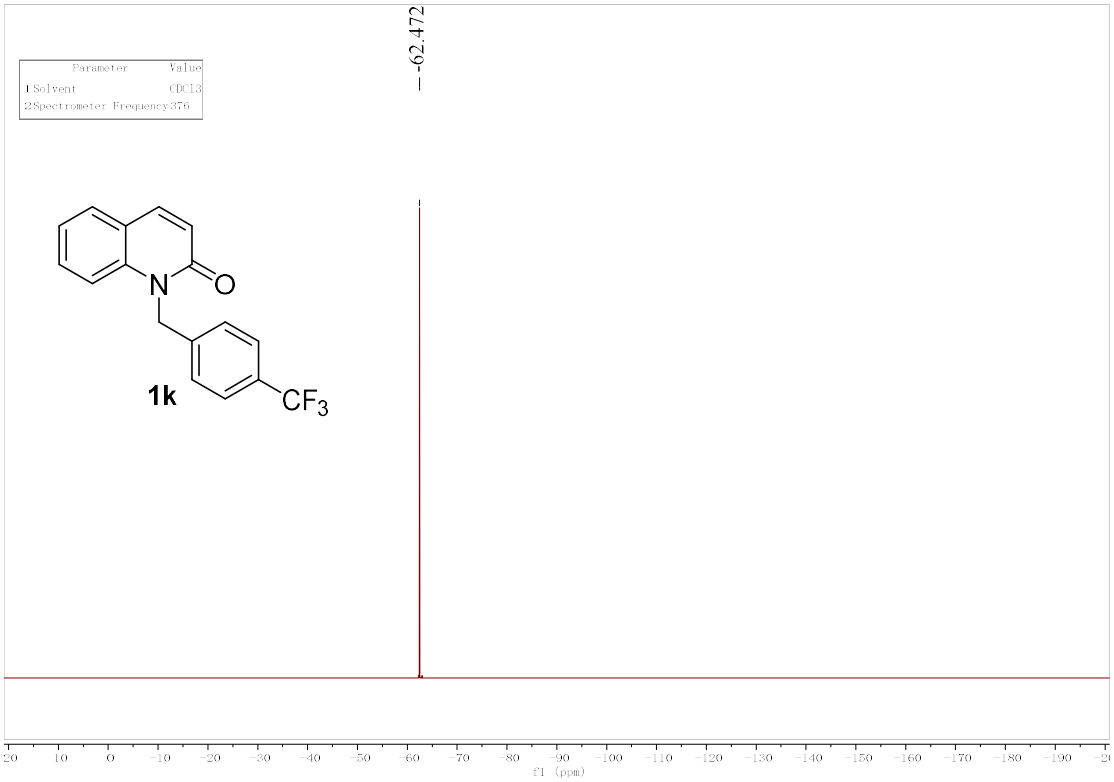

197.351
162.205
141.734
139.691
139.078
136.094
130.617
128.884
128.749
126.582
122.292
121.369
120.781
114.586
77.317
77.000
76.683
45.551
26.447
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
N
O
Me
O
1i
f1 (ppm)

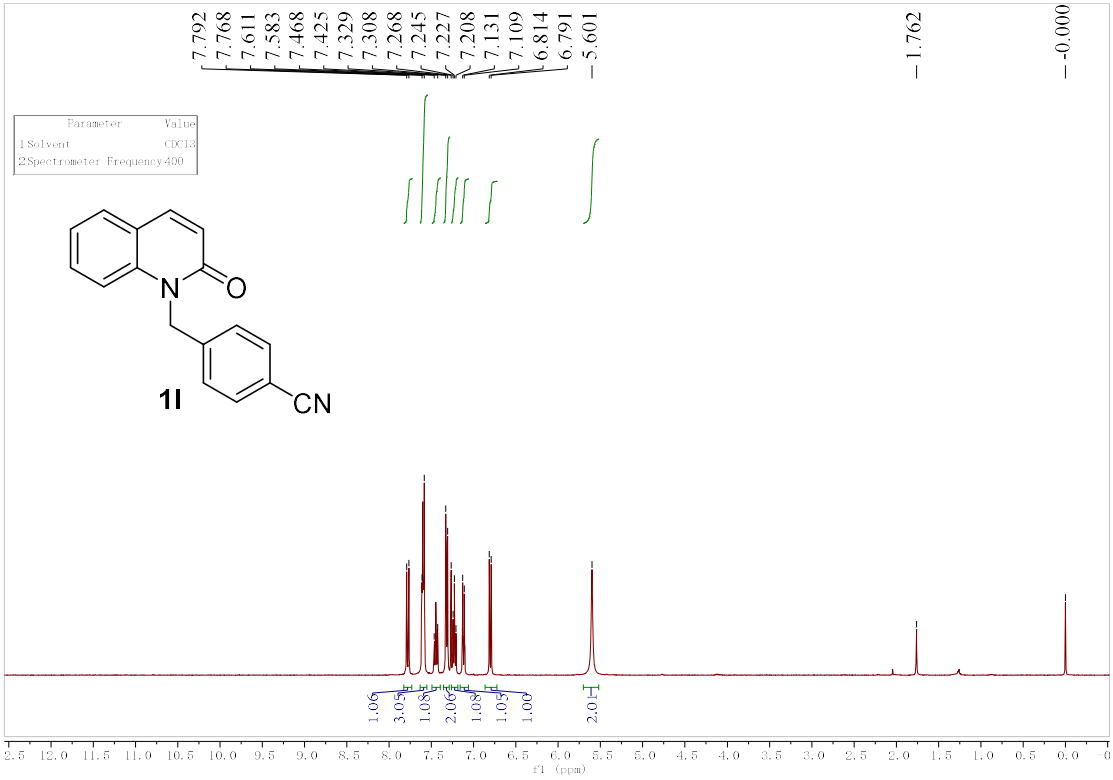

9.964
7.834
7.814
7.794
7.770
7.609
7.591
7.455
7.413
7.385
7.365
7.265
7.235
7.216
7.198
7.169
7.148
6.833
6.809
5.636
1.679
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
1j
CHO
1.02
1.93
1.07
1.05
1.10
2.04
1.10
1.09
1.01
2.09
f1 (ppm)

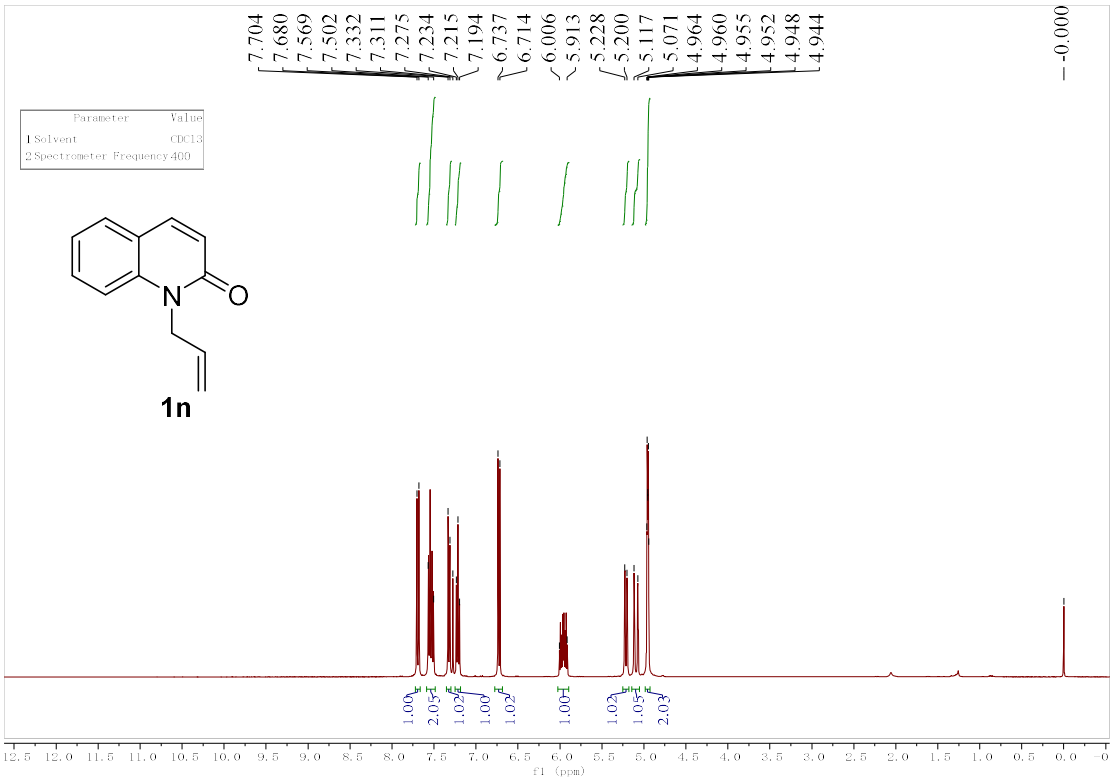

191.611
162.358
143.419
139.858
139.208
135.626
130.784
130.273
129.066
127.132
122.488
121.543
120.955
114.633
77.320
77.000
76.682
45.809
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
N
O
1j
CHO
f1 (ppm)

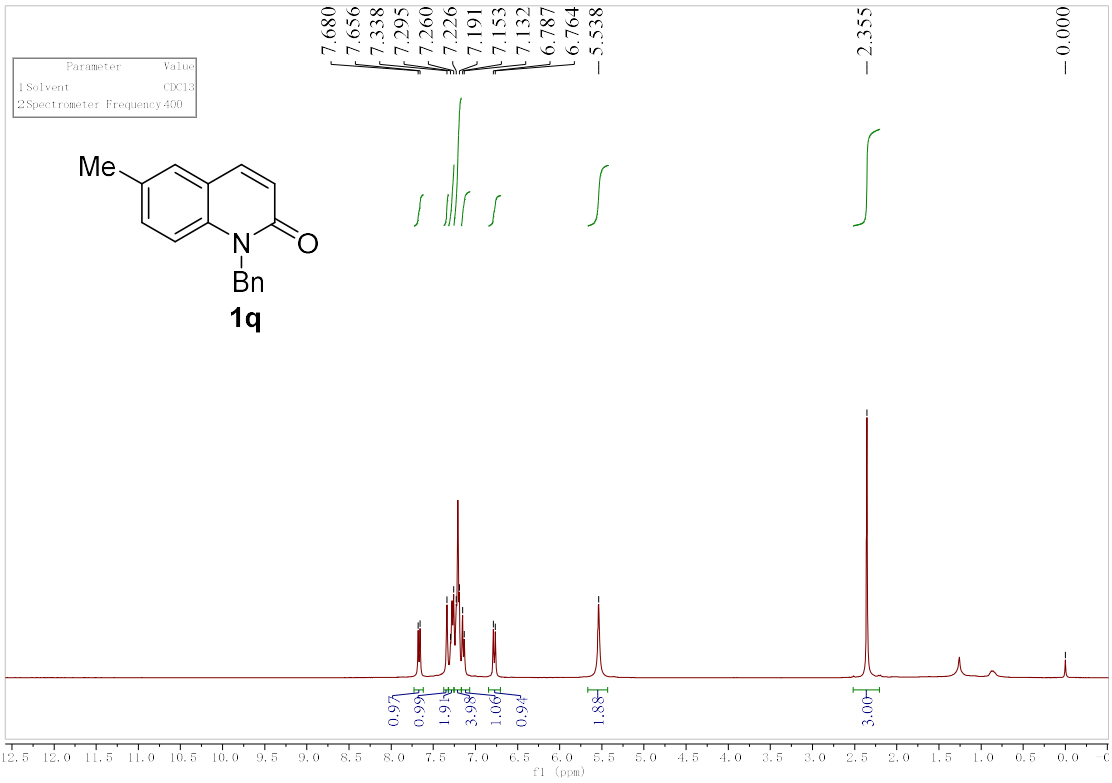

7.764
7.740
7.582
7.579
7.562
7.559
7.551
7.531
7.444
7.401
7.337
7.317
7.266
7.209
7.158
6.812
6.788
5.599
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
1k
CF3
f1 (ppm)

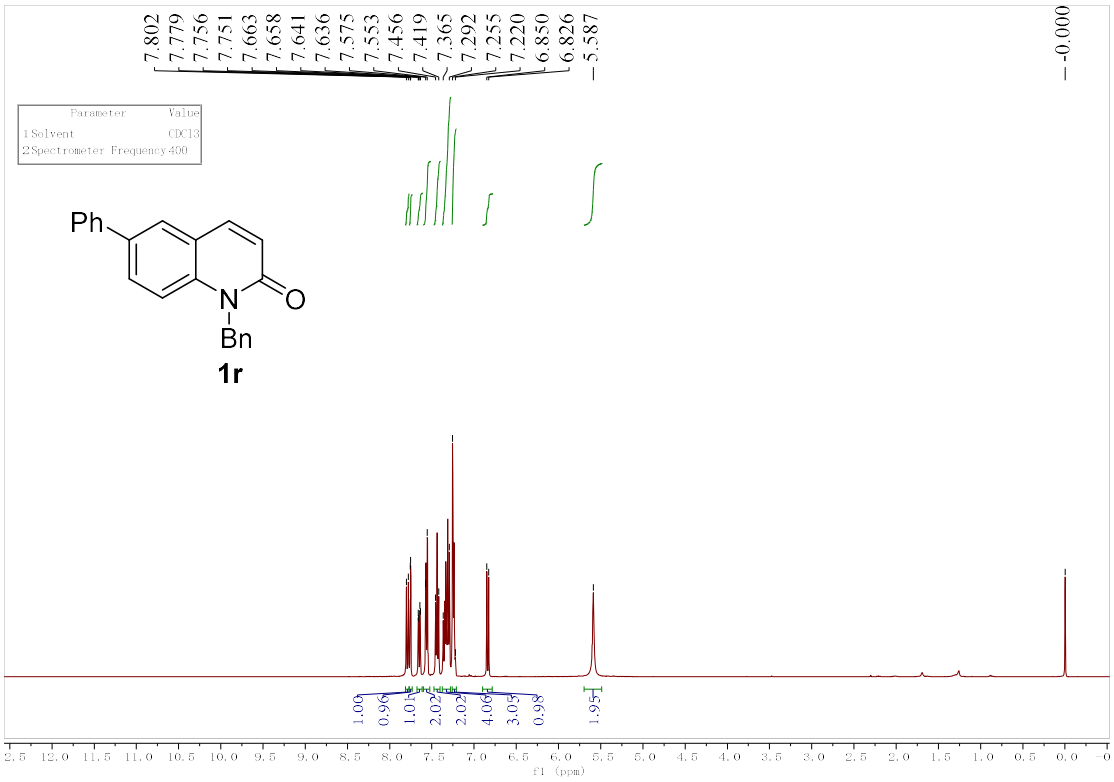

162.222
140.437
139.729
139.098
130.685
129.961
129.639
129.317
128.944
127.981
126.814
125.701
125.665
125.628
125.592
125.278
122.571
122.348
121.389
120.816
119.864
114.504
77.319
77.000
76.681
45.388
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
1k
CF3
f1 (ppm)


-62.472
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
N
O
1k
CF3
f1 (ppm)


7.792
7.768
7.611
7.583
7.468
7.425
7.329
7.308
7.268
7.245
7.227
7.208
7.131
7.109
6.814
6.791
5.601
1.762
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
1l
CN
1.06
3.03
1.05
2.06
1.05
1.03
1.00
2.01
f1 (ppm)


7.704
7.680
7.569
7.502
7.332
7.311
7.275
7.234
7.215
7.194
6.737
6.714
6.006
5.913
5.228
5.200
5.117
5.071
4.964
4.960
4.955
4.952
4.948
4.944
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
N
O
1n
1.00
2.05
1.03
1.00
1.02
1.00
1.02
1.05
2.03
f1 (ppm)


7.680
7.656
7.338
7.295
7.260
7.226
7.191
7.153
7.132
6.787
6.764
5.538
2.355
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
Me
N
O
Bn
1q
0.97
0.99
1.91
3.98
1.06
0.94
1.88
3.00
f1 (ppm)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7.779
7.756
7.751
7.663
7.658
7.641
7.636
7.575
7.553
7.456
7.419
7.365
7.292
7.255
7.220
6.850
6.826
5.587
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
Ph
N
O
Bn
1r
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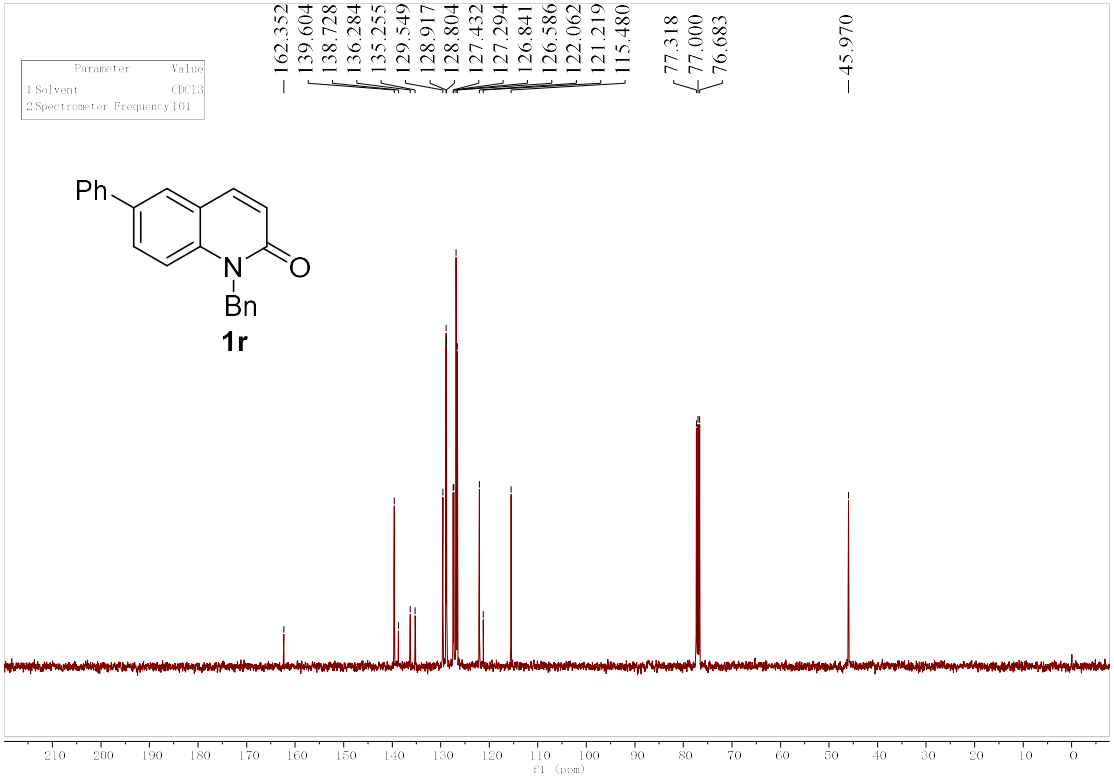
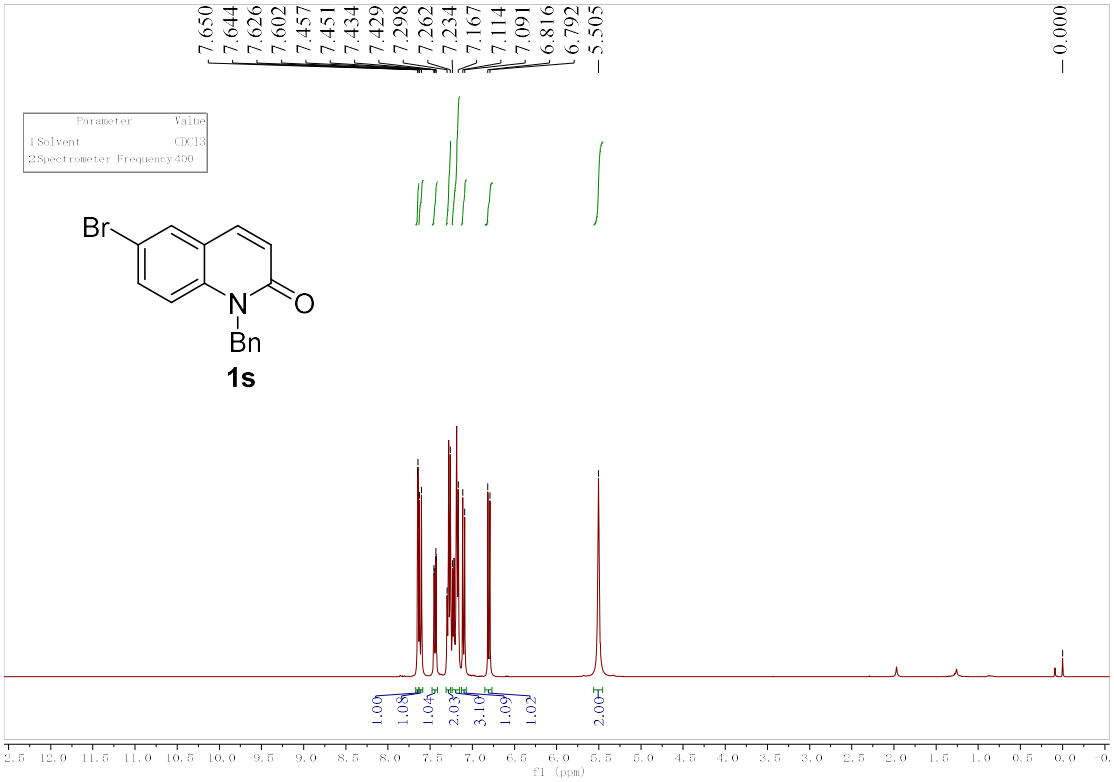
0.96
1.01
2.02
2.02
4.06
3.05
0.98
1.95
f1 (ppm)


162.352
139.604
138.728
136.284
135.255
129.549
128.917
128.804
127.432
127.294
126.841
126.586
122.062
121.219
115.480
77.318
77.000
76.683
45.970
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
Ph
N
O
Bn
1r
f1 (ppm)


7.650
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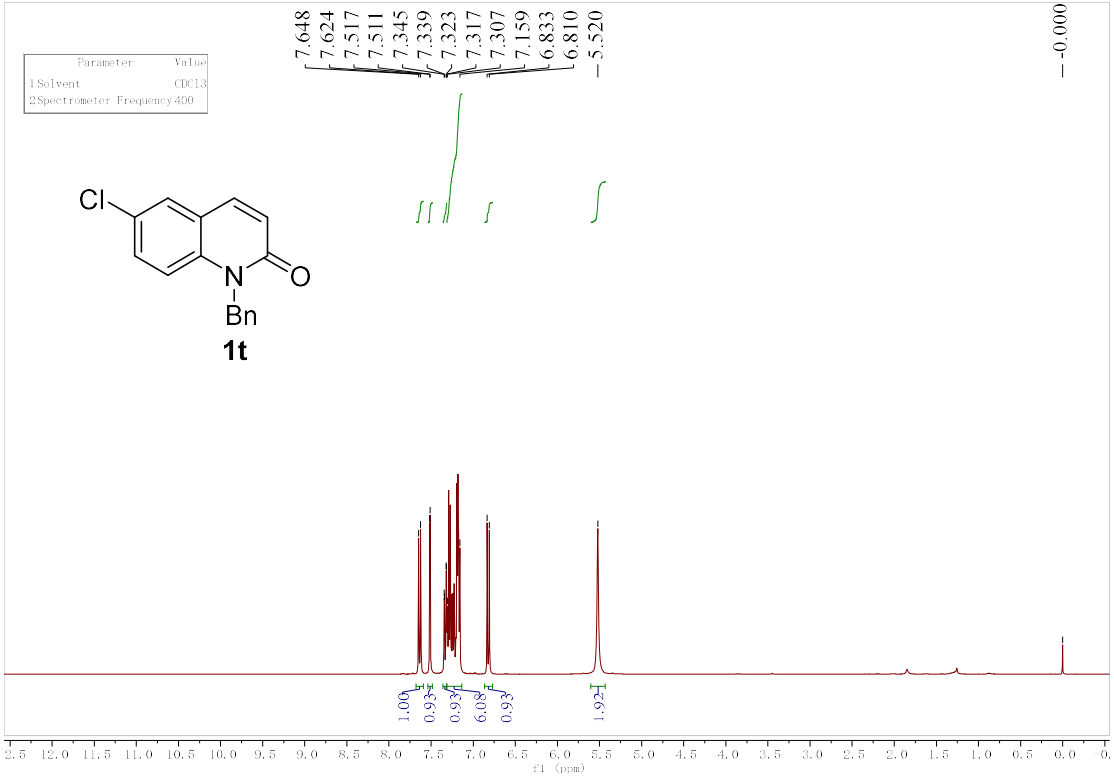
7.626
7.602
7.457
7.451
7.434
7.429
7.298
7.262
7.234
7.167
7.114
7.091
6.816
6.792
5.505
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
Br
N
O
Bn
1s
1.00
1.08
1.04
2.03
3.10
1.09
1.02
2.00
f1 (ppm)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7.624
7.517
7.511
7.345
7.339
7.323
7.317
7.307
7.159
6.833
6.810
5.520
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
Cl
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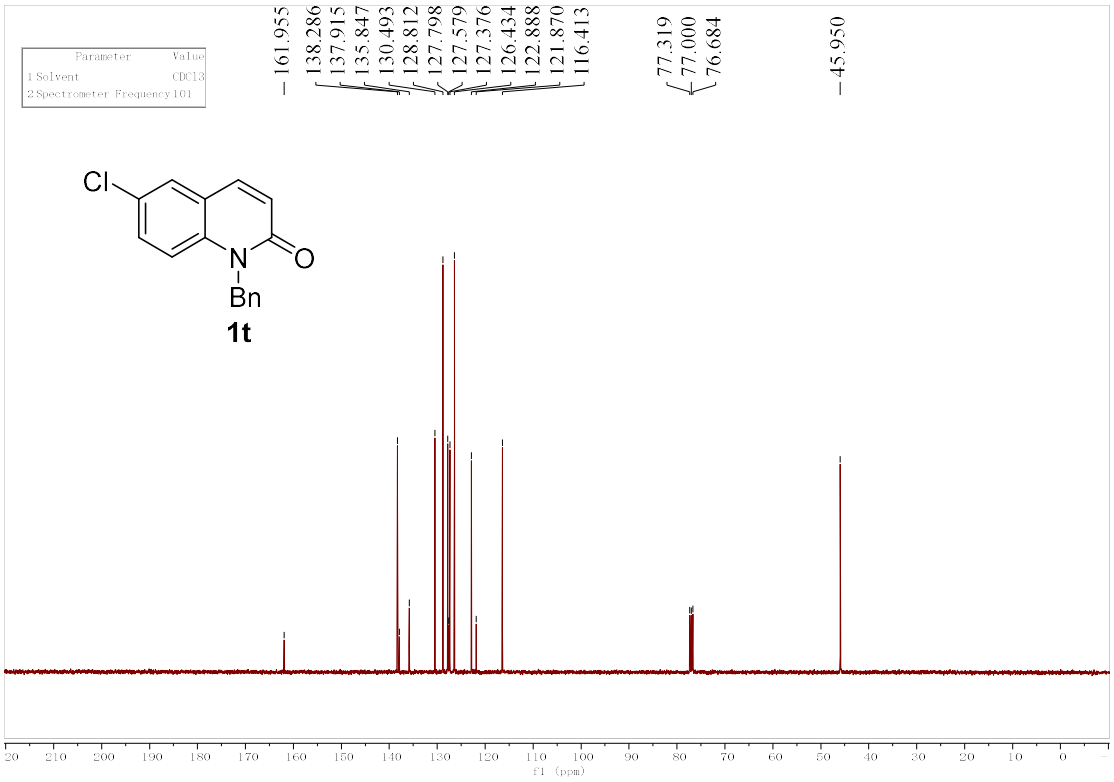
O
Bn
1t
1.00
0.93
0.93
6.05
0.93
1.92
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
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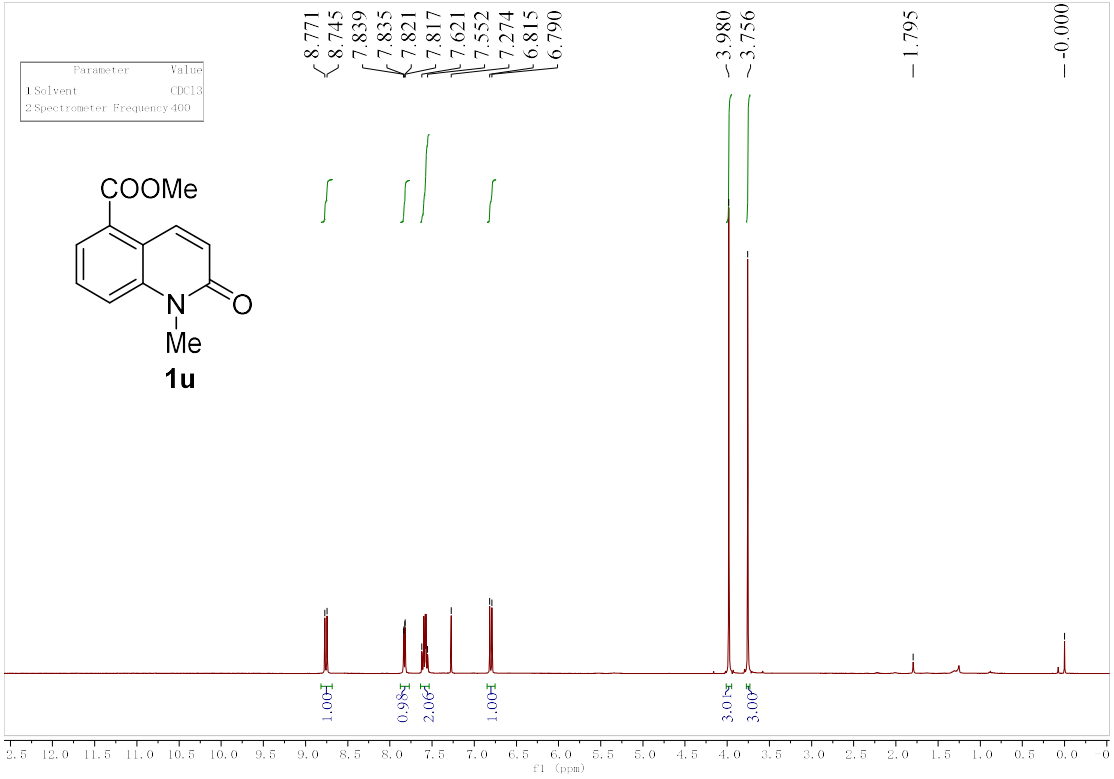
137.915
135.847
130.493
128.812
127.798
127.579
127.376
126.434
122.888
121.870
116.413
77.319
77.000
76.684
45.950
Cl
N
O
Bn
1t
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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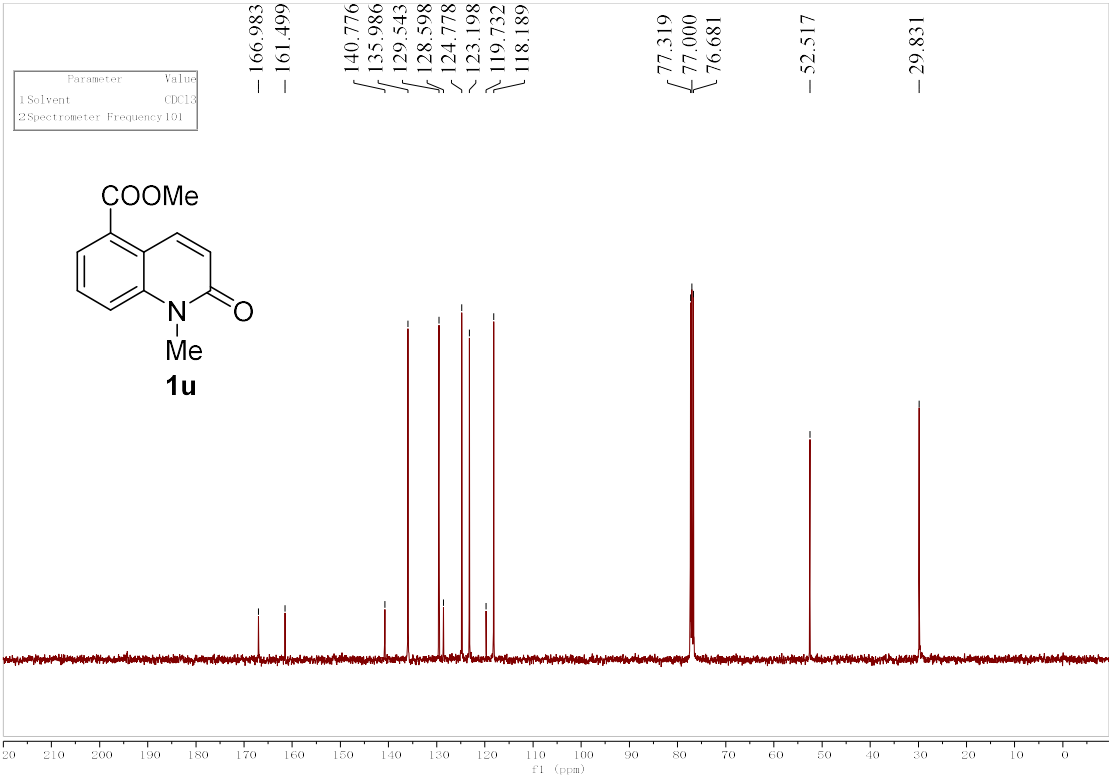
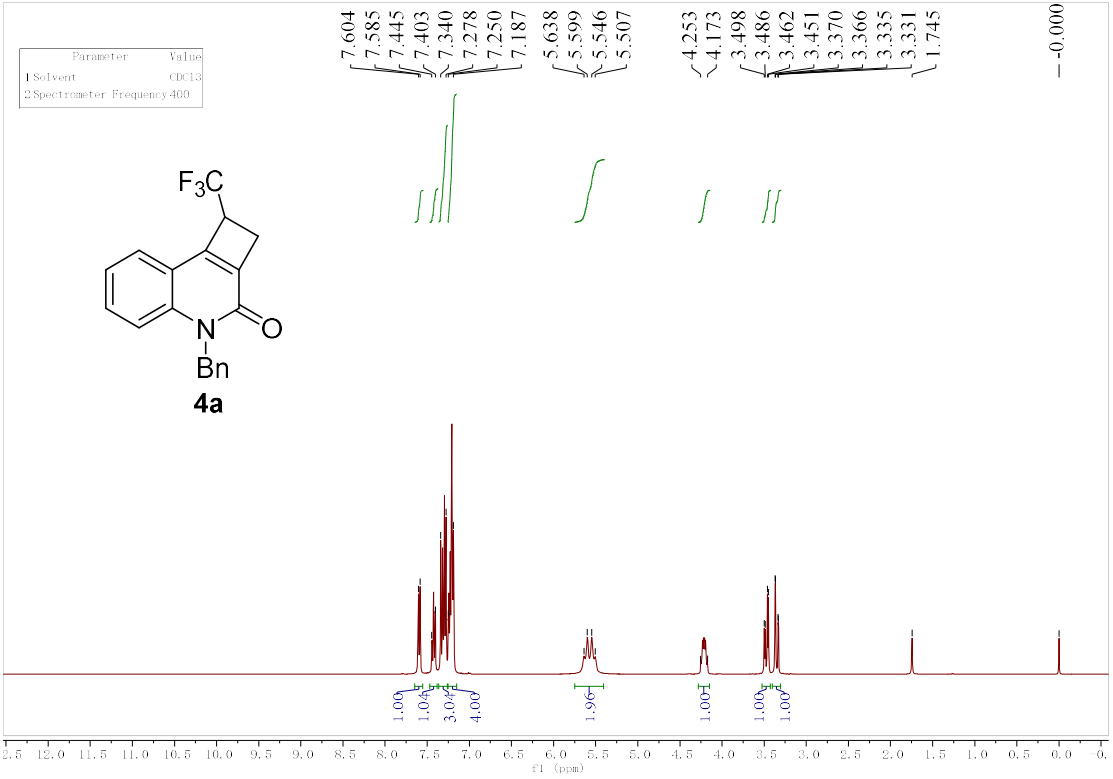
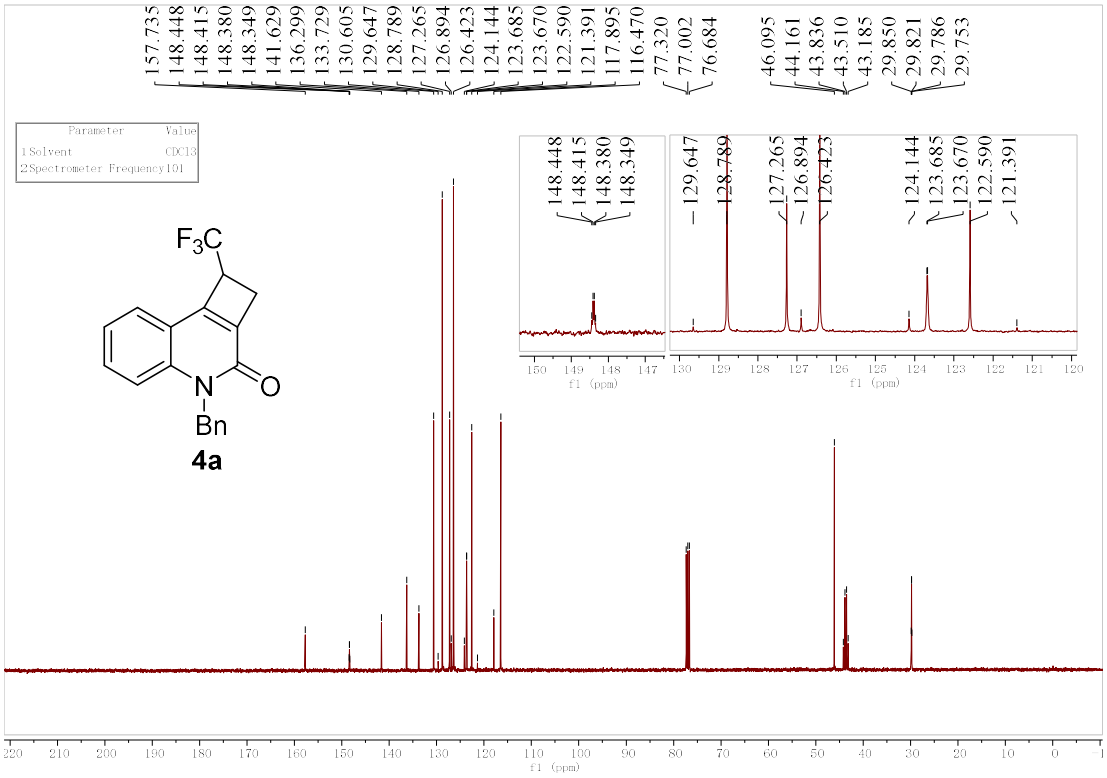
8.745
7.839
7.835
7.821
7.817
7.621
7.552
7.274
6.815
6.790
3.980
3.756
1.795
-0.000
COOMe
N
O
Me
1u
1.00
0.98
2.06
1.00
3.01
3.00
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
166.983
161.499
140.776
135.986
129.543
128.598
124.778
123.198
119.732
118.189
77.319
77.000
76.681
52.517
29.831
COOMe
N
O
Me
1u
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
7.604
7.585
7.445
7.403
7.340
7.278
7.250
7.187
5.638
5.599
5.546
5.507
4.253
4.173
3.498
3.486
3.462
3.451
3.370
3.366
3.335
3.331
1.745
-0.000
F3C
N
O
Bn
4a
1.00
1.04
3.04
4.00
1.98
1.00
1.00
1.00
f1 (ppm)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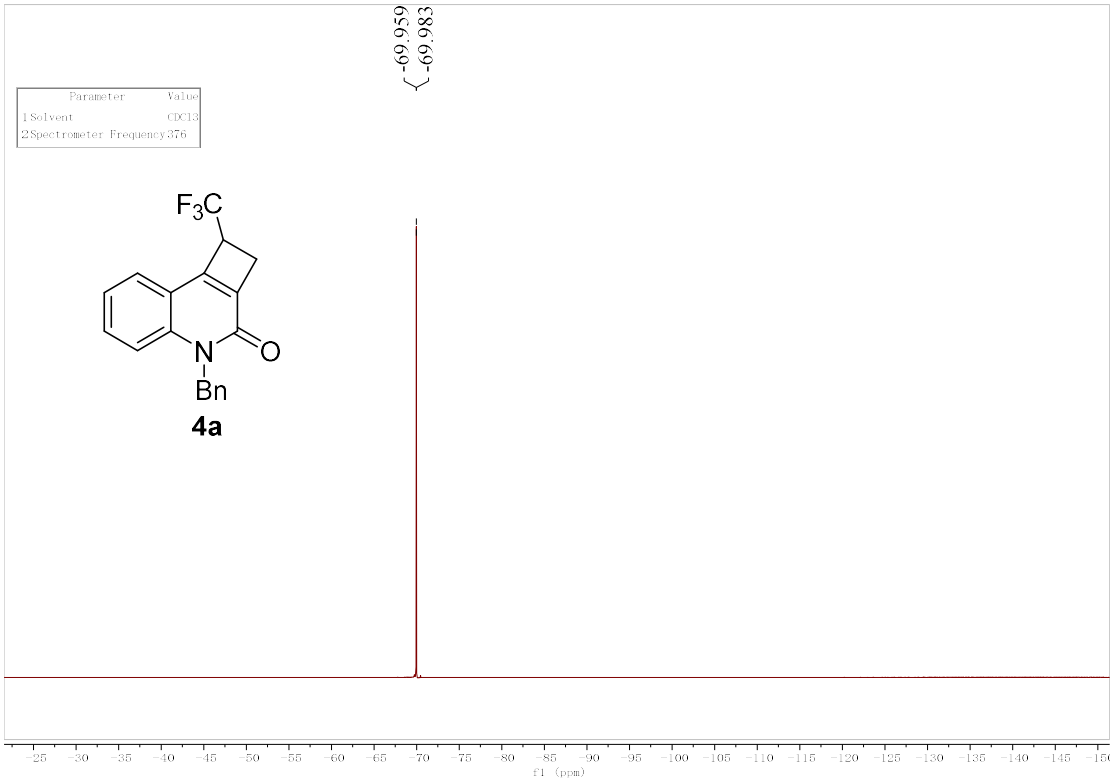
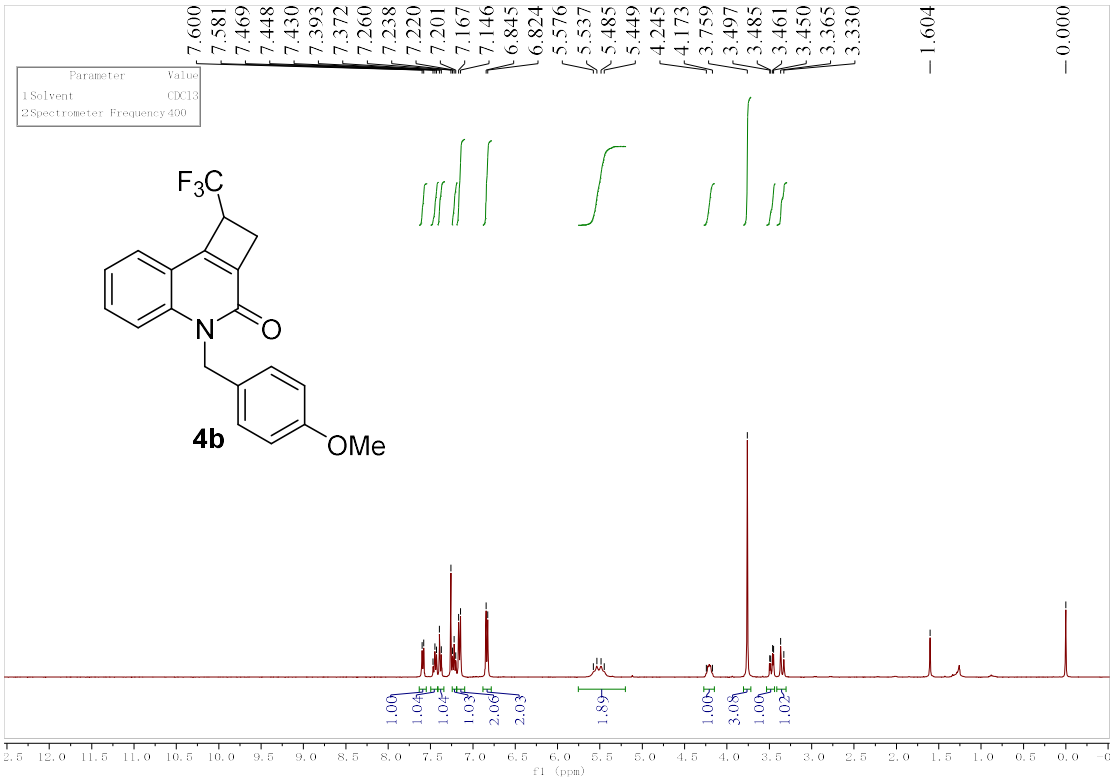
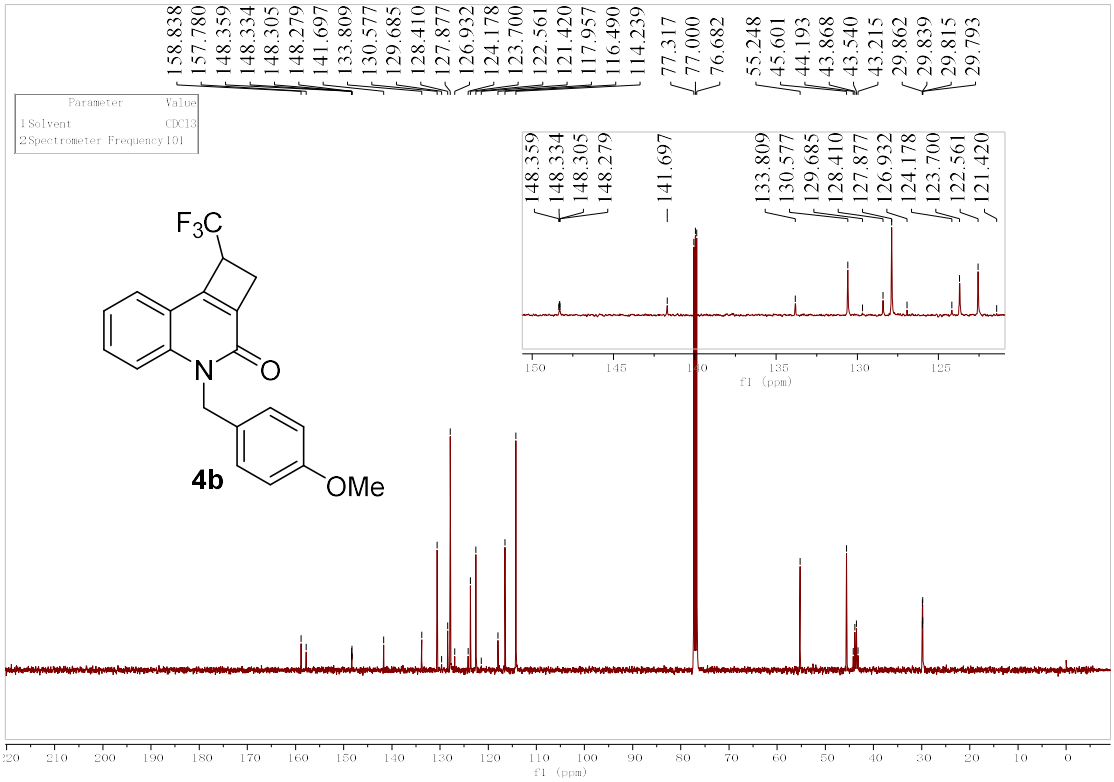
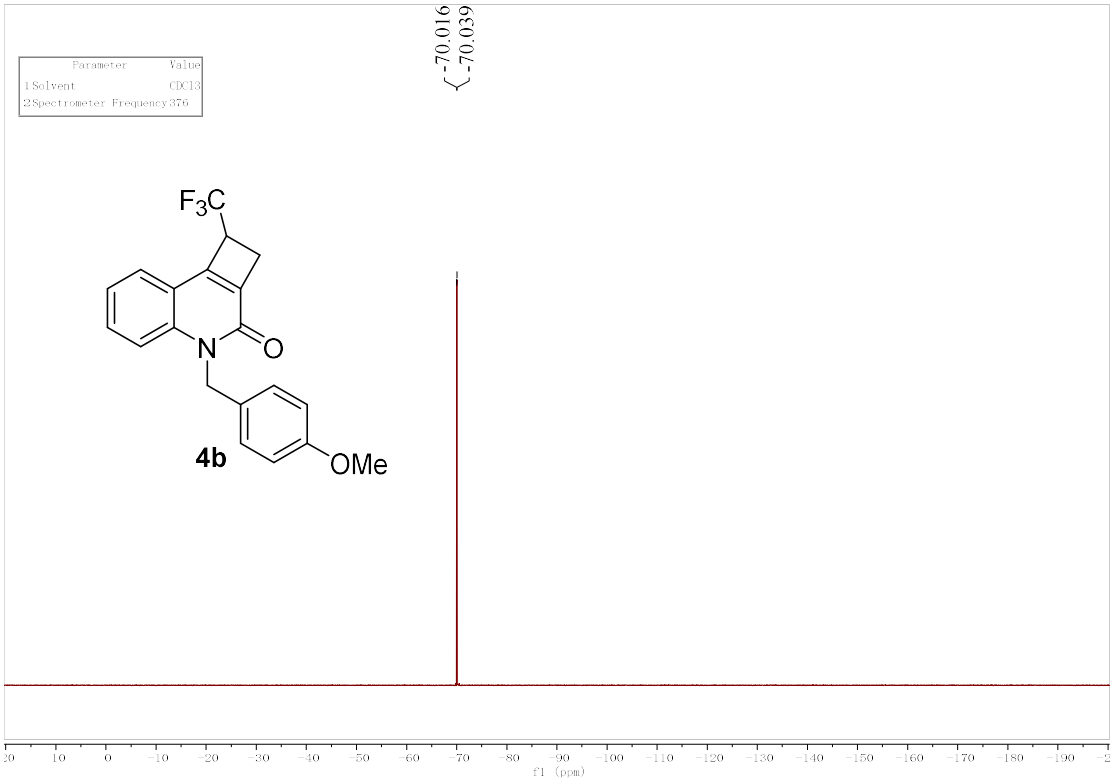
148.448
148.415
148.380
148.349
141.629
136.299
133.729
130.605
129.647
128.789
127.265
126.894
126.423
124.144
123.685
123.670
122.590
121.391
117.895
116.470
77.320
77.002
76.684
46.095
44.161
43.836
43.510
43.185
29.850
29.821
29.786
29.753
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
Bn
4a
f1 (ppm)


-69.959
-69.983
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F3C
N
O
Bn
4a
f1 (ppm)


7.600
7.581
7.469
7.448
7.430
7.393
7.372
7.260
7.238
7.220
7.201
7.167
7.146
6.845
6.824
5.576
5.537
5.485
5.449
4.245
4.173
3.759
3.497
3.485
3.461
3.450
3.365
3.330
1.604
0.000
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 400
F3C
N
O
4b
OMe
f1 (ppm)


158.838
157.780
148.359
148.334
148.305
148.279
141.697
133.809
130.577
129.685
128.410
127.877
126.932
124.178
123.700
122.561
121.420
117.957
116.490
114.239
77.317
77.000
76.682
55.248
45.601
44.193
43.868
43.540
43.215
29.862
29.839
29.815
29.793
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 101
F3C
N
O
4b
OMe
f1 (ppm)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2 Spectrometer Frequency 376
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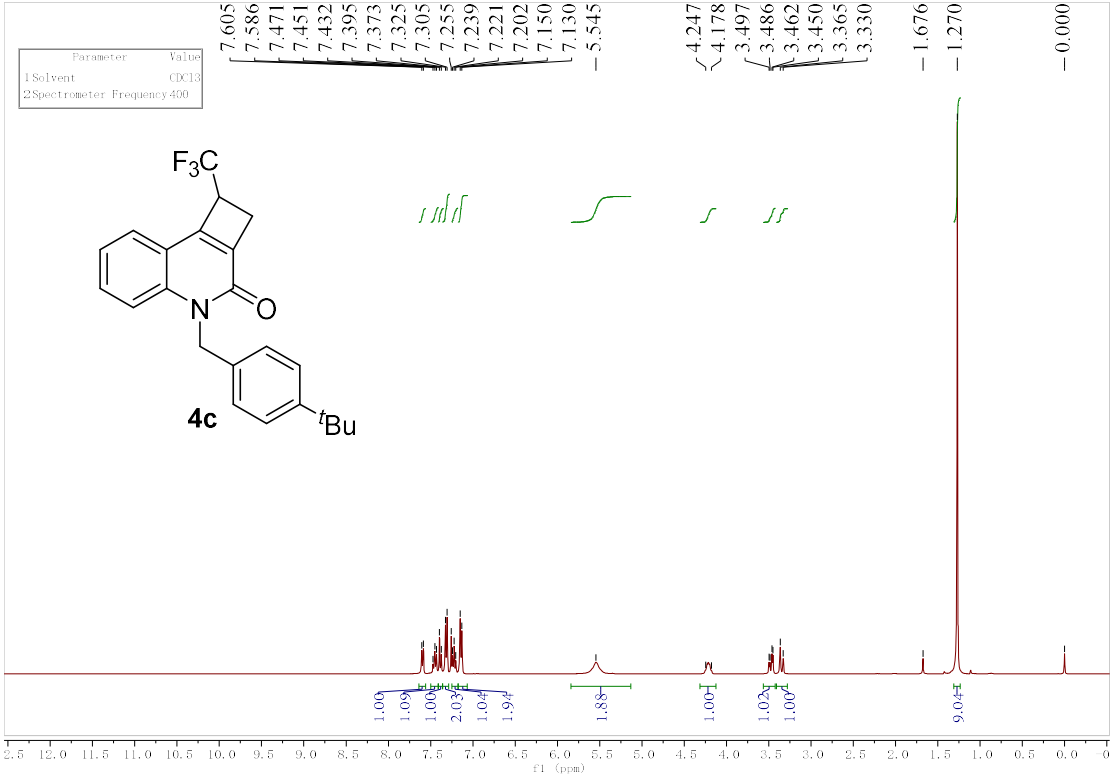
F3C
N
O
4b
OMe
f1 (ppm)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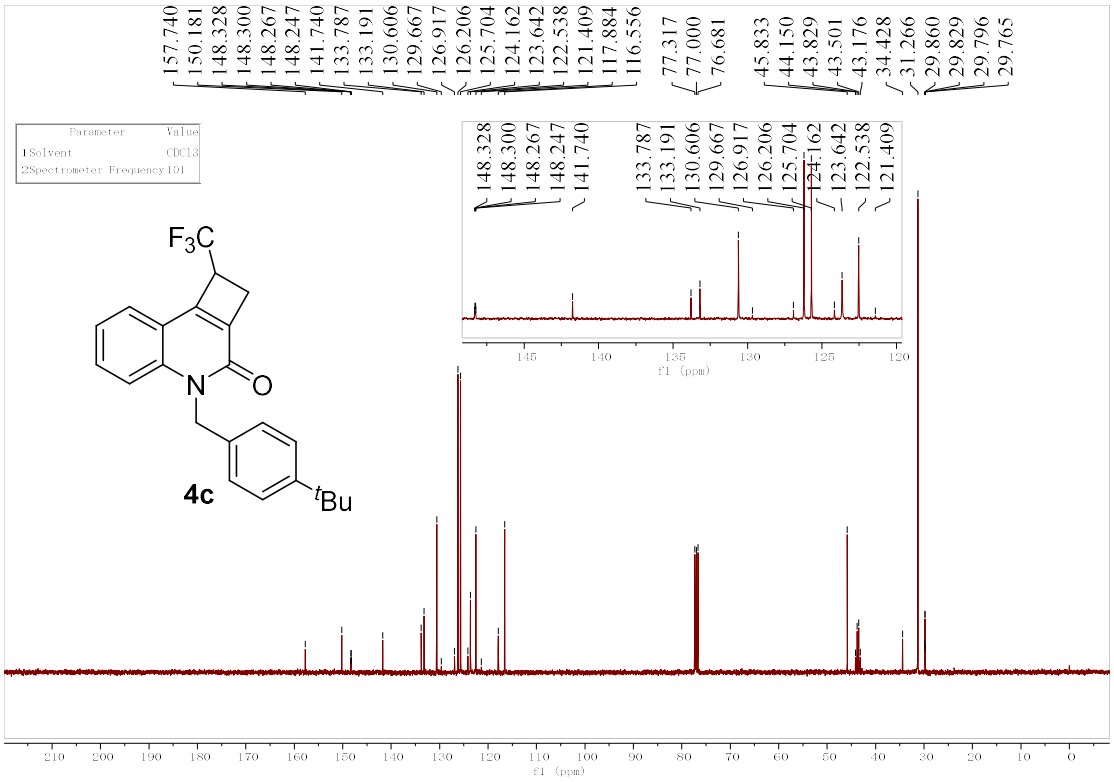
7.373
7.325
7.305
7.255
7.239
7.221
7.202
7.150
7.130
5.545
4.247
4.178
3.497
3.486
3.462
3.450
3.365
3.330
1.676
1.270
0.000
F3C
N
O
4c
tBu
1.00
1.09
1.00
2.03
1.04
1.94
1.88
1.00
1.02
1.00
9.04
f1 (ppm)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148.328
148.300
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148.247
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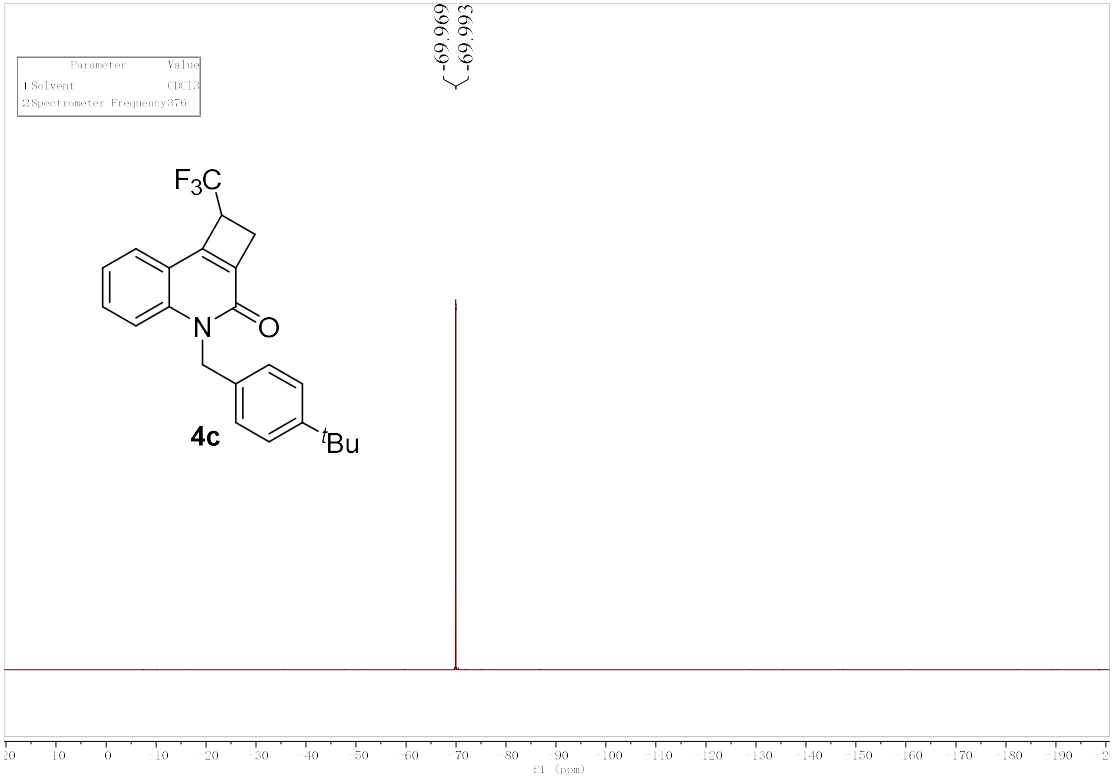
126.917
126.206
125.704
124.162
123.642
122.538
121.409
117.884
116.556
77.317
77.000
76.681
45.833
44.150
43.829
43.501
43.176
34.428
31.266
29.860
29.829
29.796
29.765
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 101
F3C
N
O
4c
tBu
f1 (ppm)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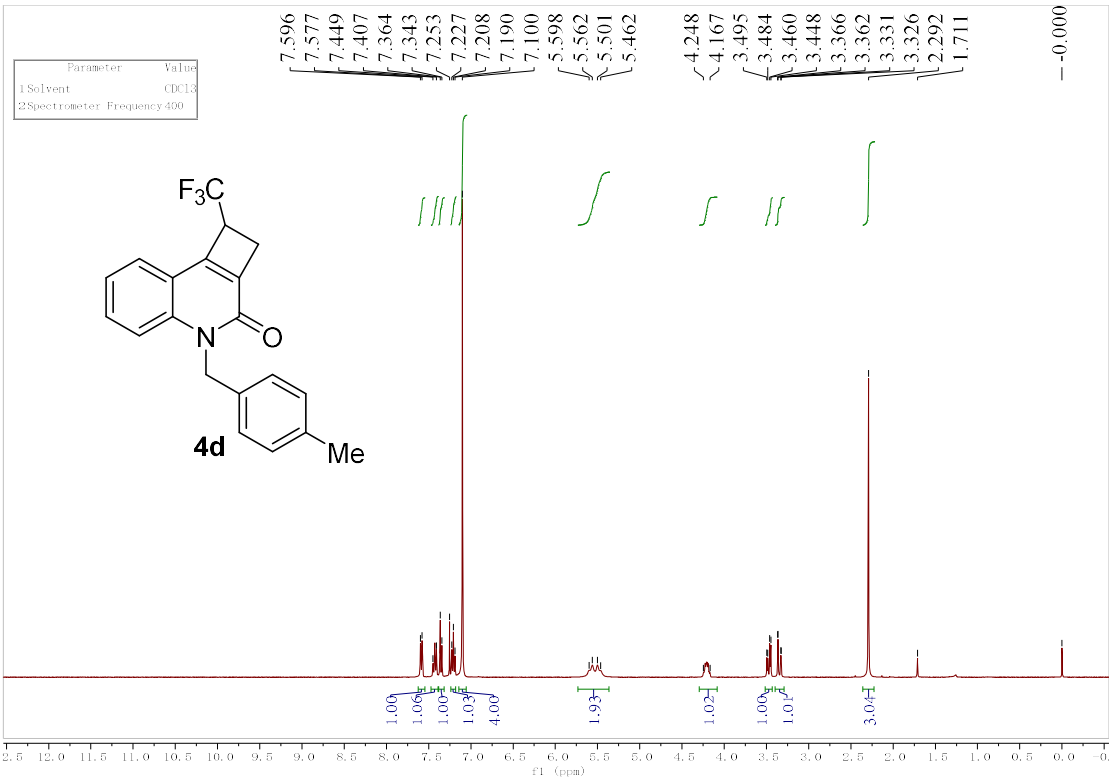
N
O
4c
tBu
f1 (ppm)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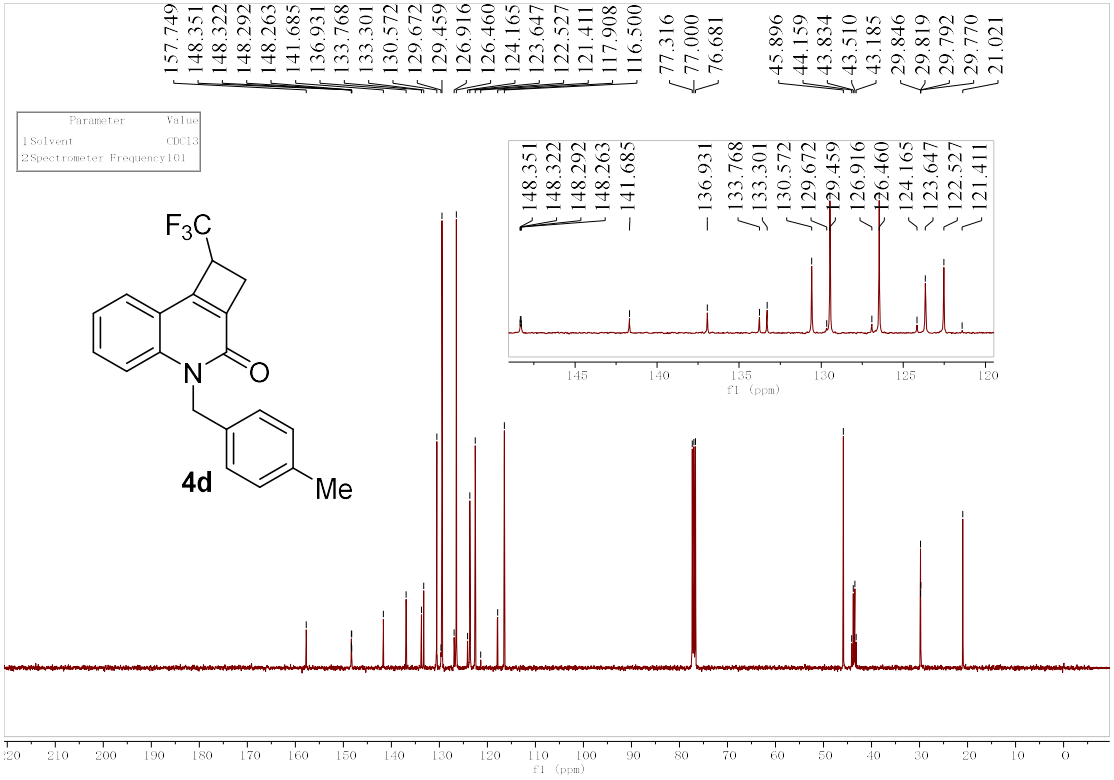
7.577
7.449
7.407
7.364
7.343
7.253
7.227
7.208
7.190
7.100
5.598
5.562
5.501
5.462
4.248
4.167
3.495
3.484
3.460
3.448
3.366
3.362
3.331
3.326
2.292
1.711
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
4d
Me
1.00
1.00
1.00
1.03
4.00
1.93
1.02
1.00
1.01
3.04
f1 (ppm)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148.322
148.292
148.263
141.685
136.931
133.768
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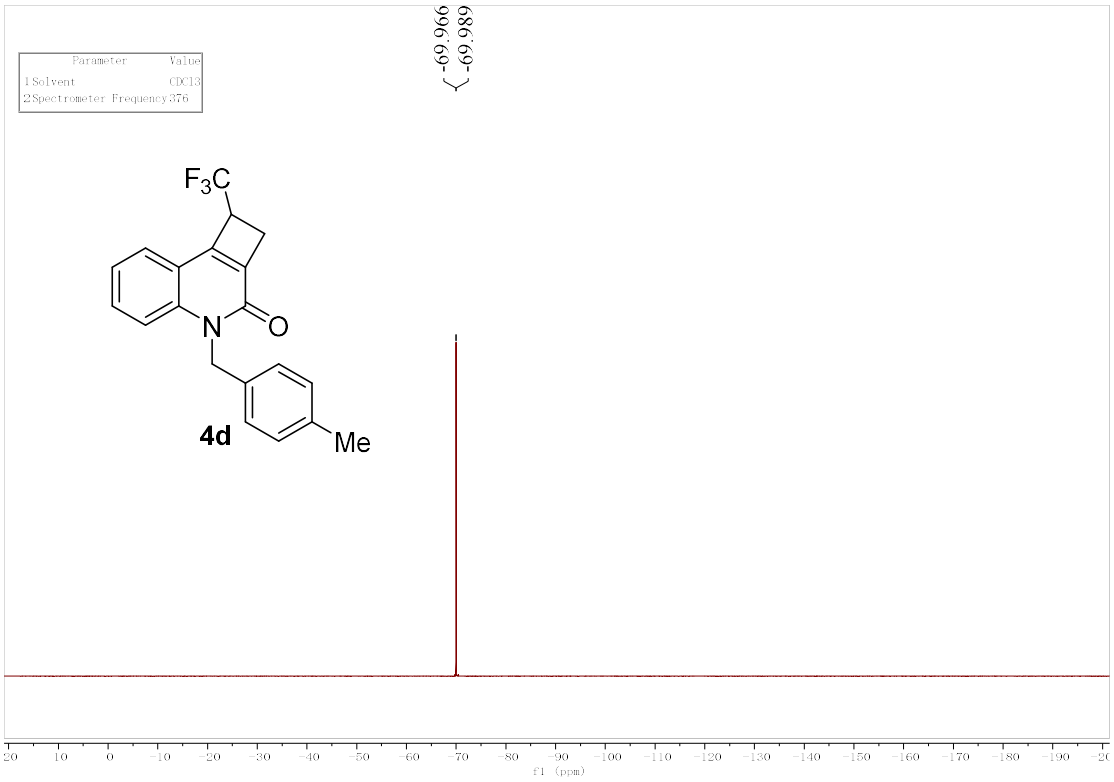
130.572
129.672
129.459
126.916
126.460
124.165
123.647
122.527
121.411
117.908
116.500
77.316
77.000
76.681
45.896
44.159
43.834
43.510
43.185
29.846
29.819
29.792
29.770
21.021
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
4d
Me
f1 (ppm)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Parameter Value
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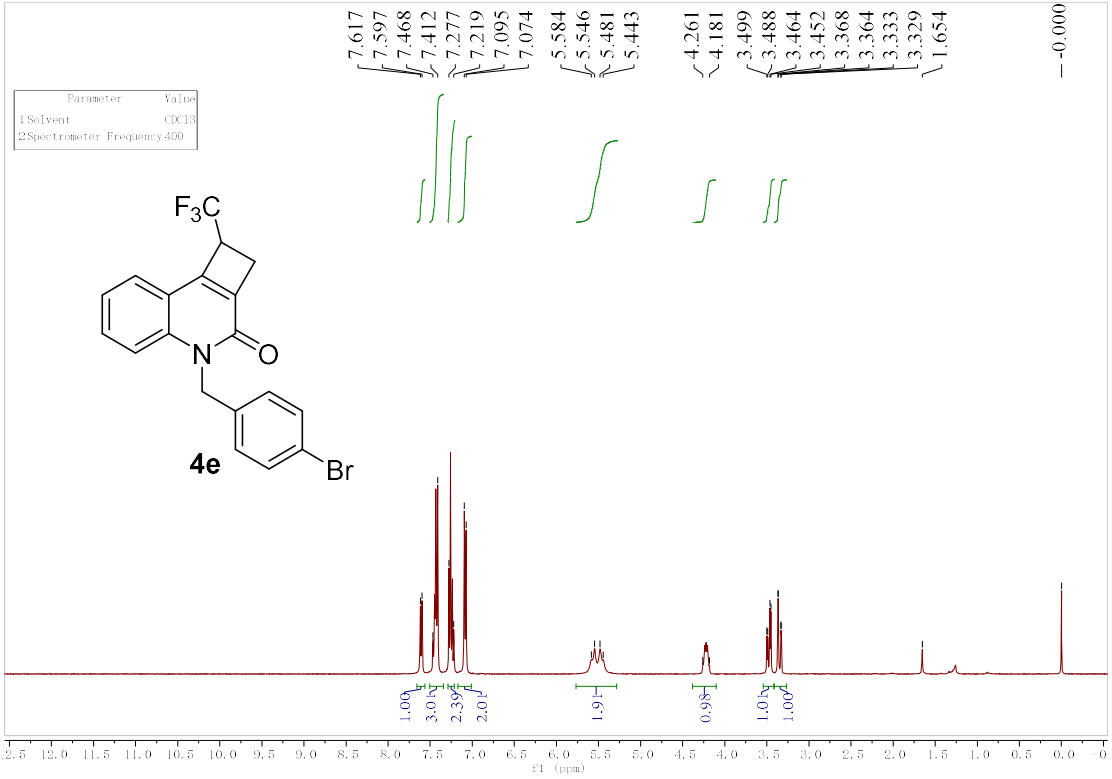
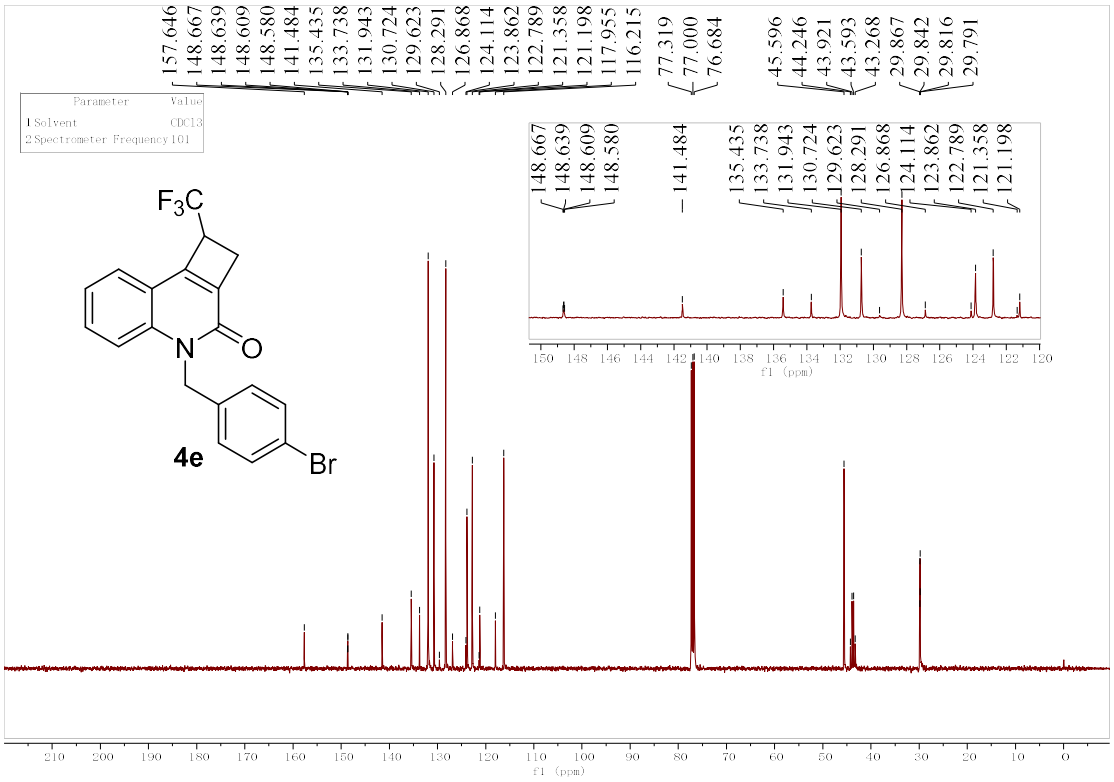
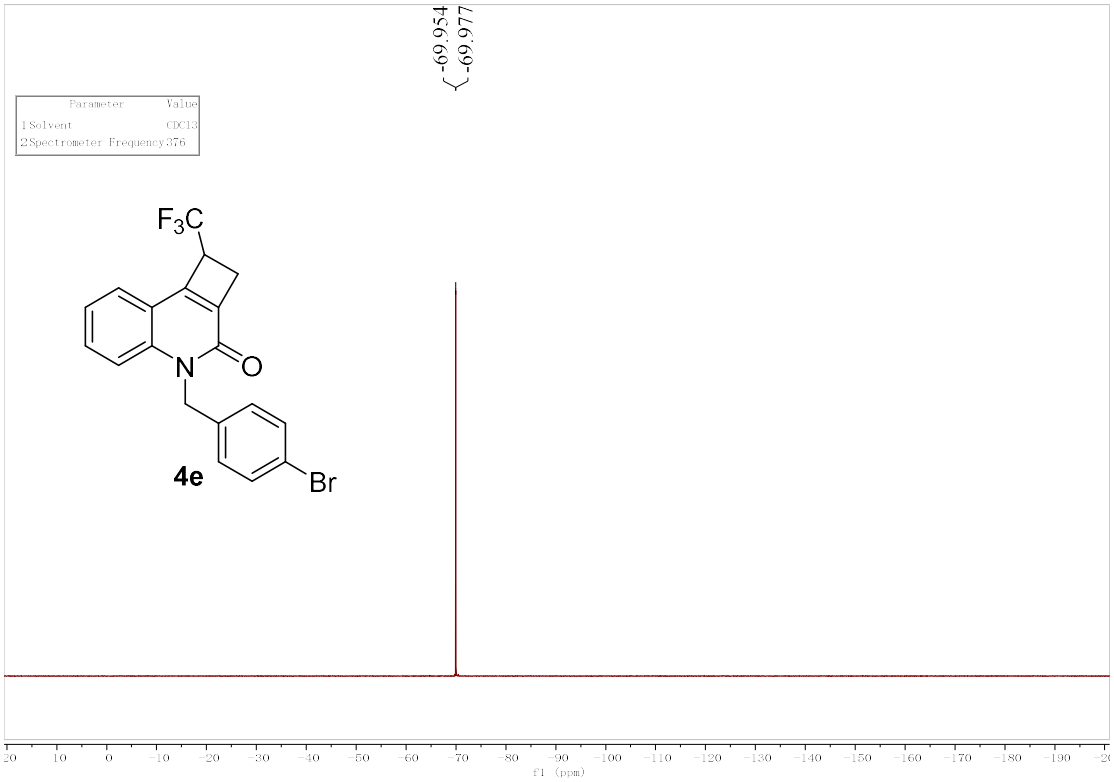
F3C
N
O
4d
Me
f1 (ppm)


7.617
7.597
7.468
7.412
7.277
7.219
7.095
7.074
5.584
5.546
5.481
5.443
4.261
4.181
3.499
3.488
3.464
3.452
3.368
3.364
3.333
3.329
1.654
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
4e
Br
1.00
3.05
2.39
2.01
1.91
0.98
1.01
1.00
f1 (ppm)


157.646
148.667
148.639
148.609
148.580
141.484
135.435
133.738
131.943
130.724
129.623
128.291
126.868
124.114
123.862
122.789
121.358
121.198
117.955
116.215
77.319
77.000
76.684
45.596
44.246
43.921
43.593
43.268
29.867
29.842
29.816
29.791
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
4e
Br
f1 (ppm)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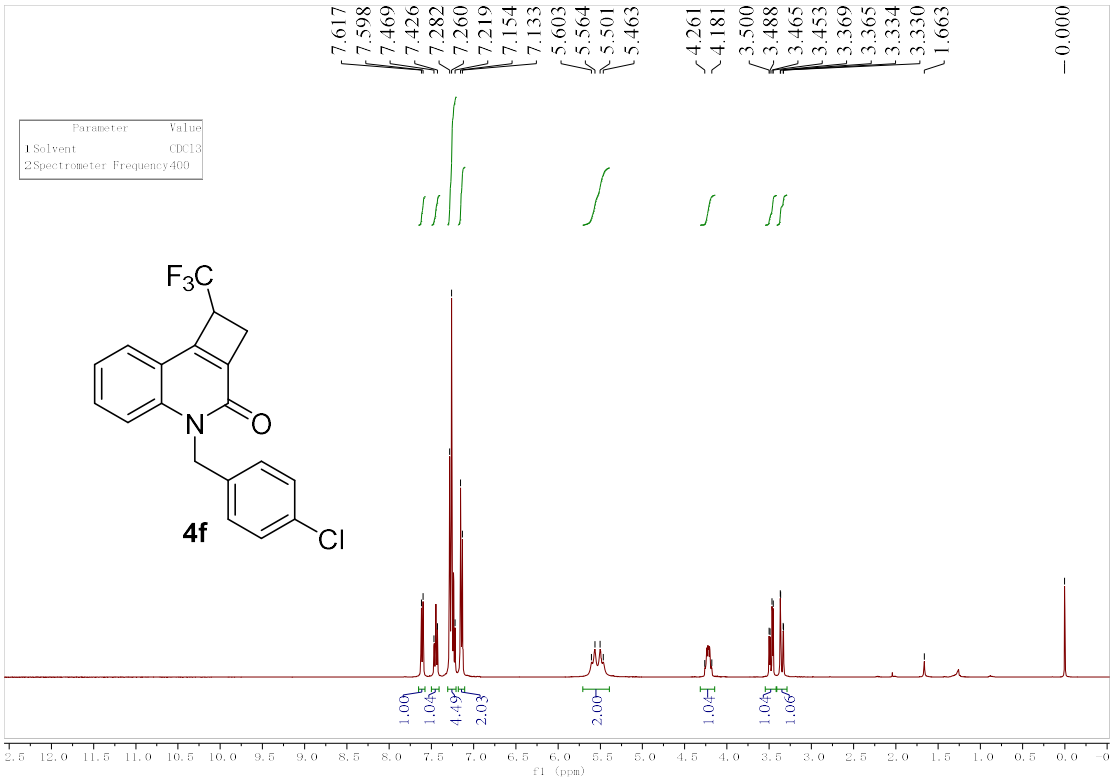
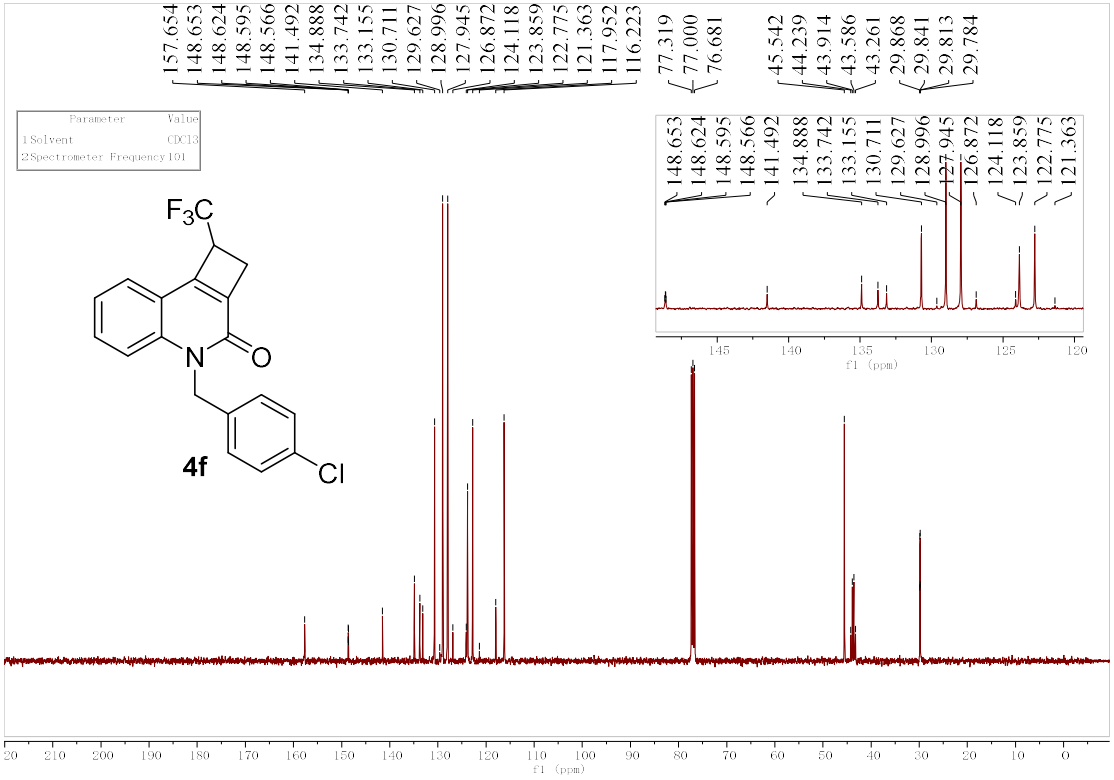
-69.977
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F3C
N
O
4e
Br
f1 (ppm)


7.617
7.598
7.469
7.426
7.282
7.260
7.219
7.154
7.133
5.603
5.564
5.501
5.463
4.261
4.181
3.500
3.488
3.465
3.453
3.369
3.365
3.334
3.330
1.663
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
Cl
4f
1.00
1.04
4.49
2.03
2.00
1.04
1.04
1.08
f1 (ppm)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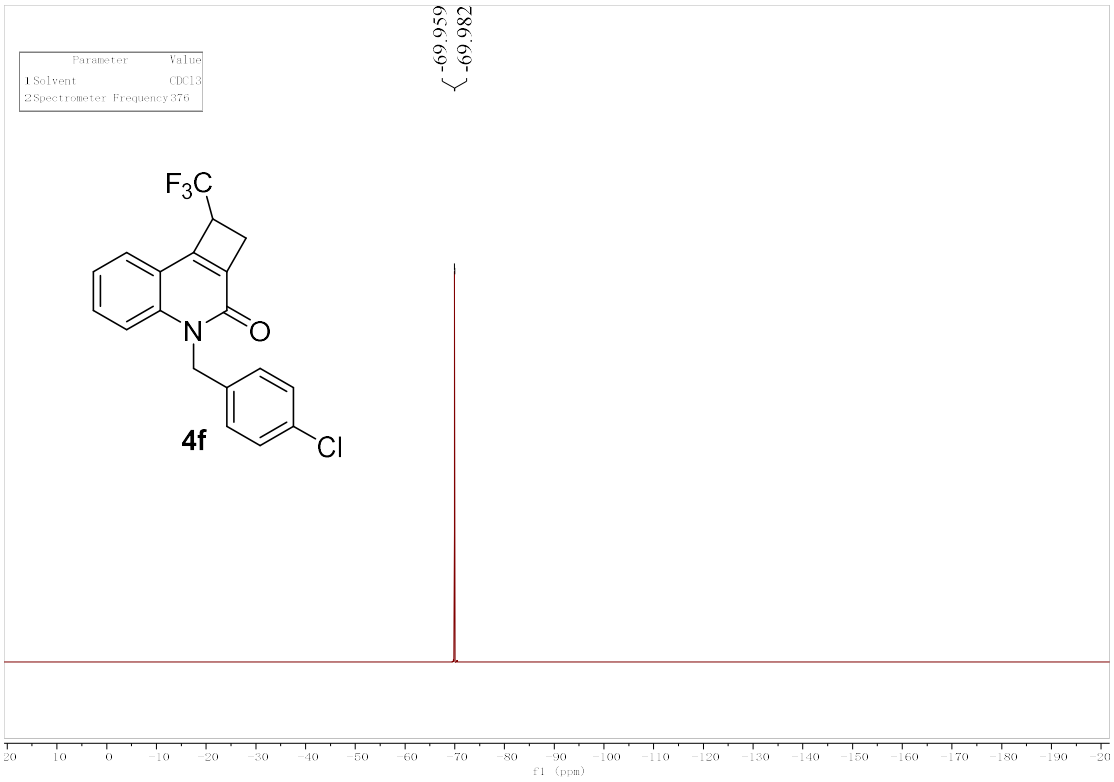
148.624
148.595
148.566
141.492
134.888
133.742
133.155
130.711
129.627
128.996
127.945
126.872
124.118
123.859
122.775
121.363
117.952
116.223
77.319
77.000
76.681
45.542
44.239
43.914
43.586
43.261
29.868
29.841
29.813
29.784
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
Cl
4f
f1 (ppm)
f1 (ppm)


Parameter Value
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2 Spectrometer Frequency 376
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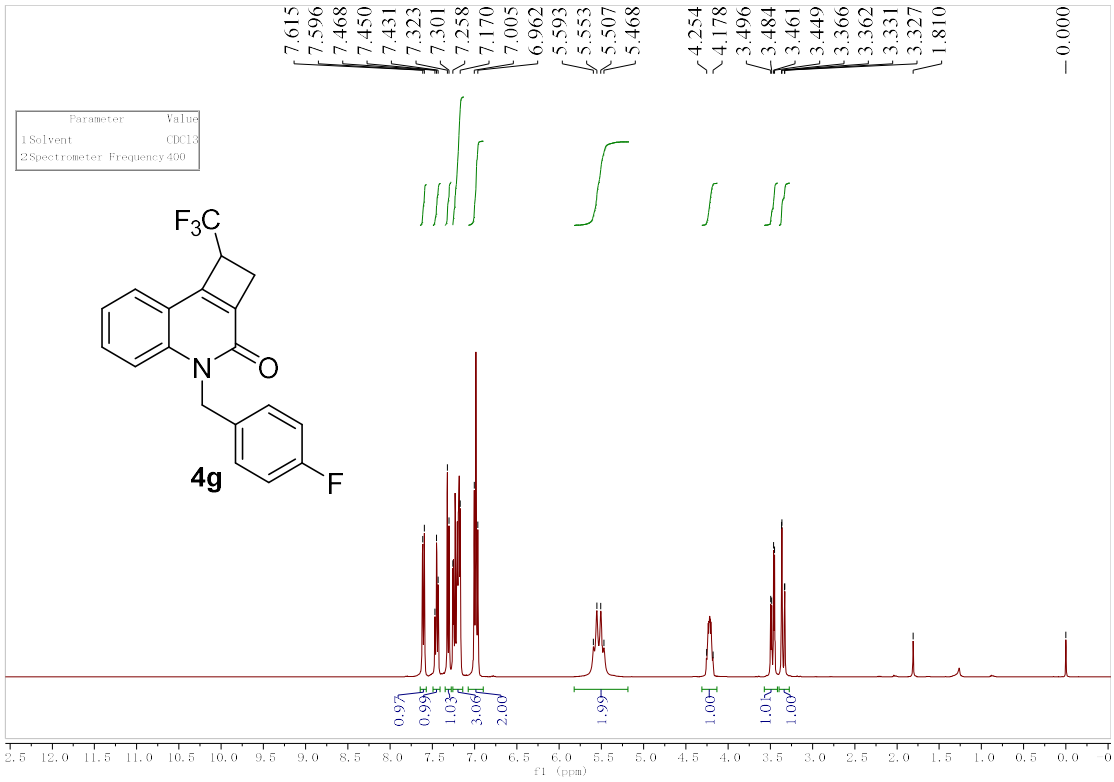
-69.982
F3C
N
O
Cl
4f
f1 (ppm)


7.615
7.596
7.468
7.450
7.431
7.323
7.301
7.258
7.170
7.005
6.962
5.593
5.553
5.507
5.468
4.254
4.178
3.496
3.484
3.461
3.449
3.366
3.362
3.331
3.327
1.810
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
F
4g
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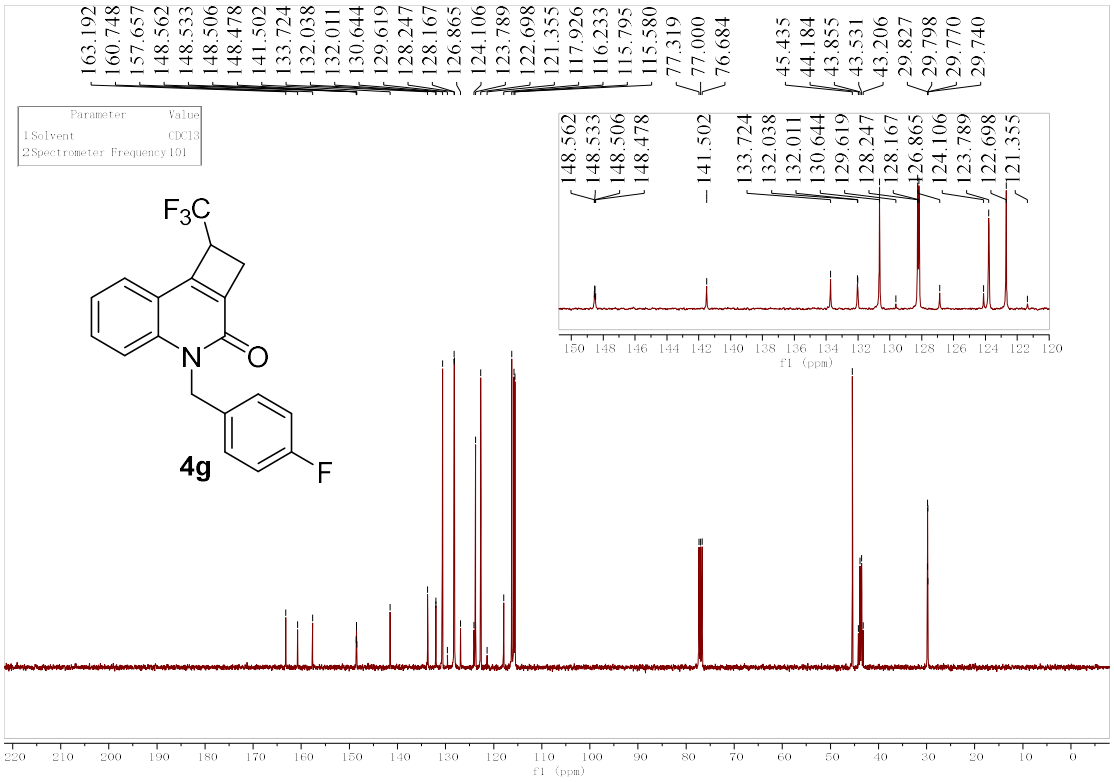
0.99
1.03
3.06
2.00
1.99
1.00
1.01
1.00
f1 (ppm)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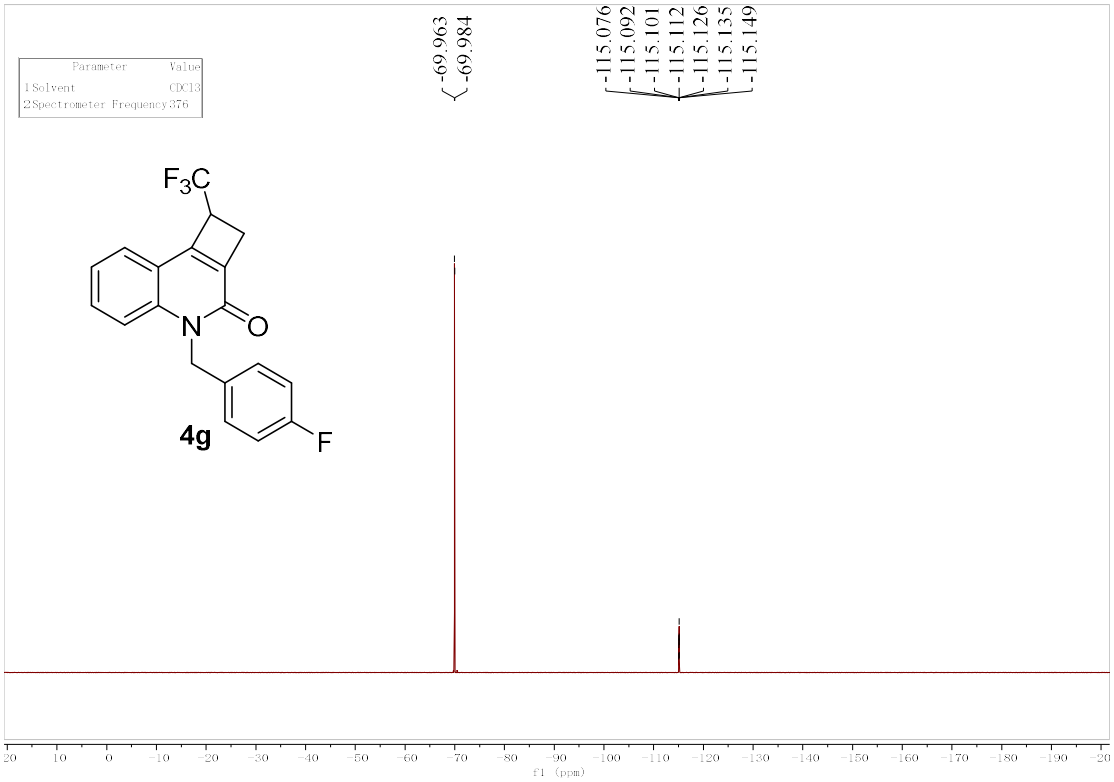
160.748
157.657
148.562
148.533
148.506
148.478
141.502
133.724
132.038
132.011
130.644
129.619
128.247
128.167
126.865
124.106
123.789
122.698
121.355
117.926
116.233
115.795
115.580
77.319
77.000
76.684
45.435
44.184
43.855
43.531
43.206
29.827
29.798
29.770
29.740
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
4g
F
f1 (ppm)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-69.984
-115.076
-115.092
-115.101
-115.112
-115.126
-115.135
-115.149
Parameter Value
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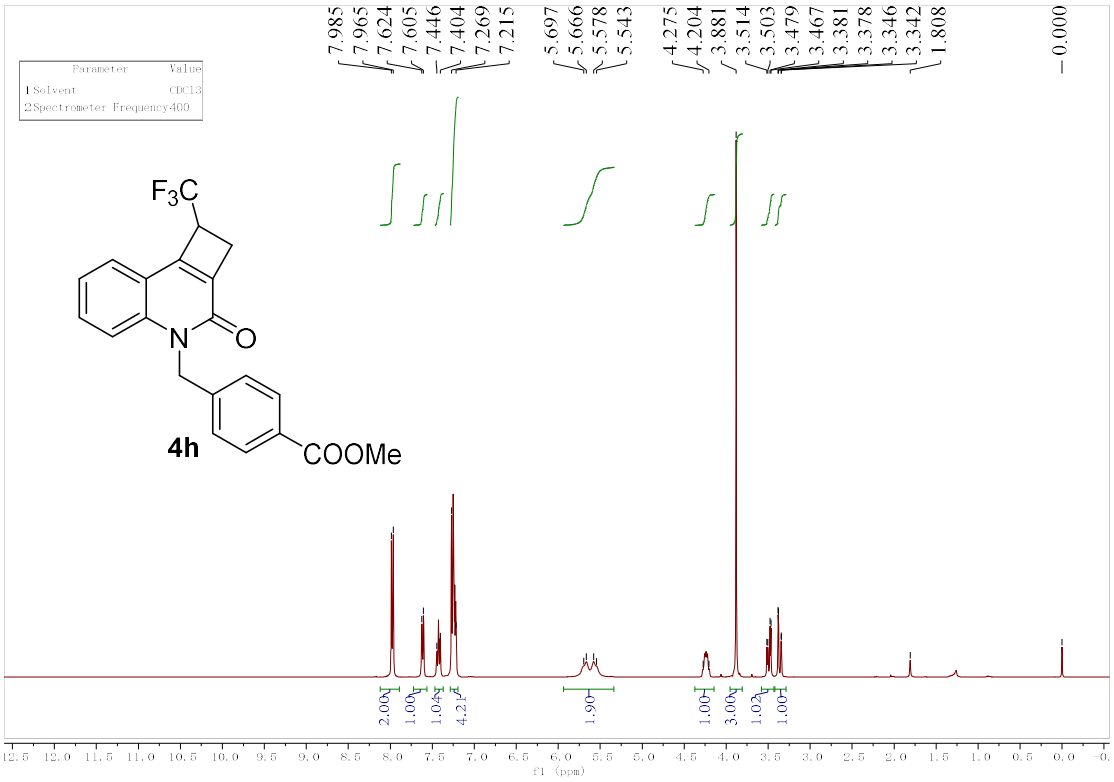
2 Spectrometer Frequency 376
F3C
N
O
4g
F
f1 (ppm)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7.965
7.624
7.605
7.446
7.404
7.269
7.215
5.697
5.666
5.578
5.543
4.275
4.204
3.881
3.514
3.503
3.479
3.467
3.381
3.378
3.346
3.342
1.808
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
4h
COOMe
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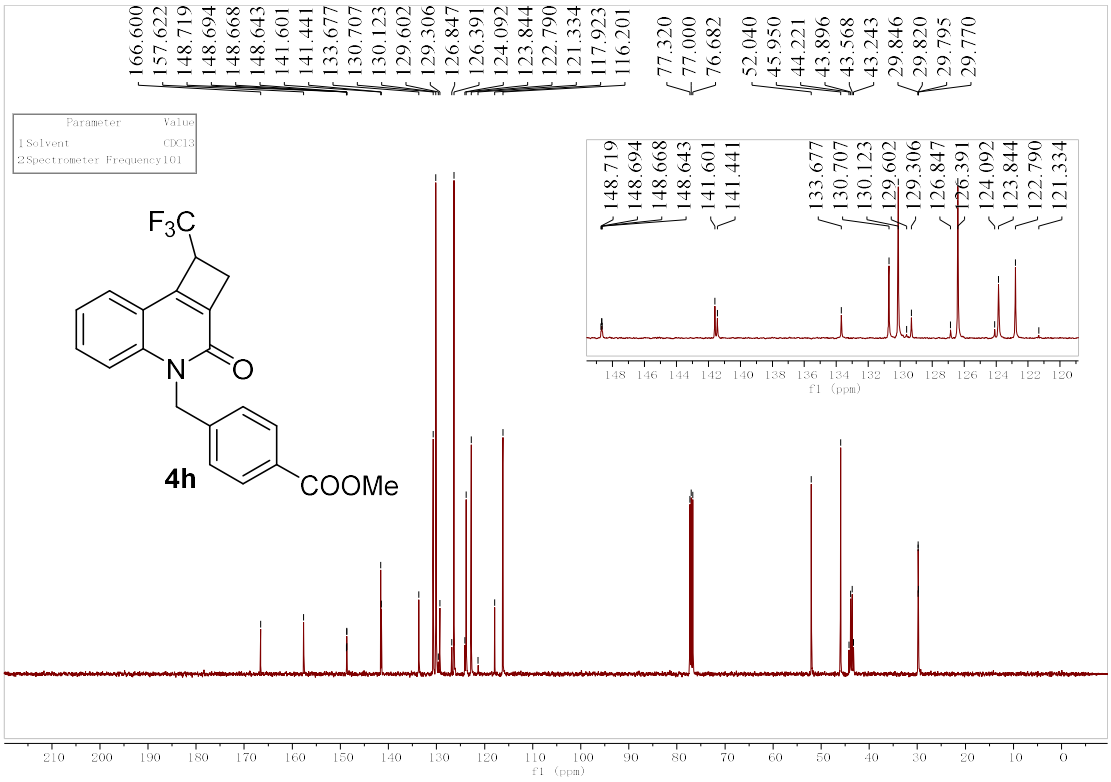
1.00
1.04
4.21
1.90
1.00
3.00
1.02
1.00
f1 (ppm)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141.441
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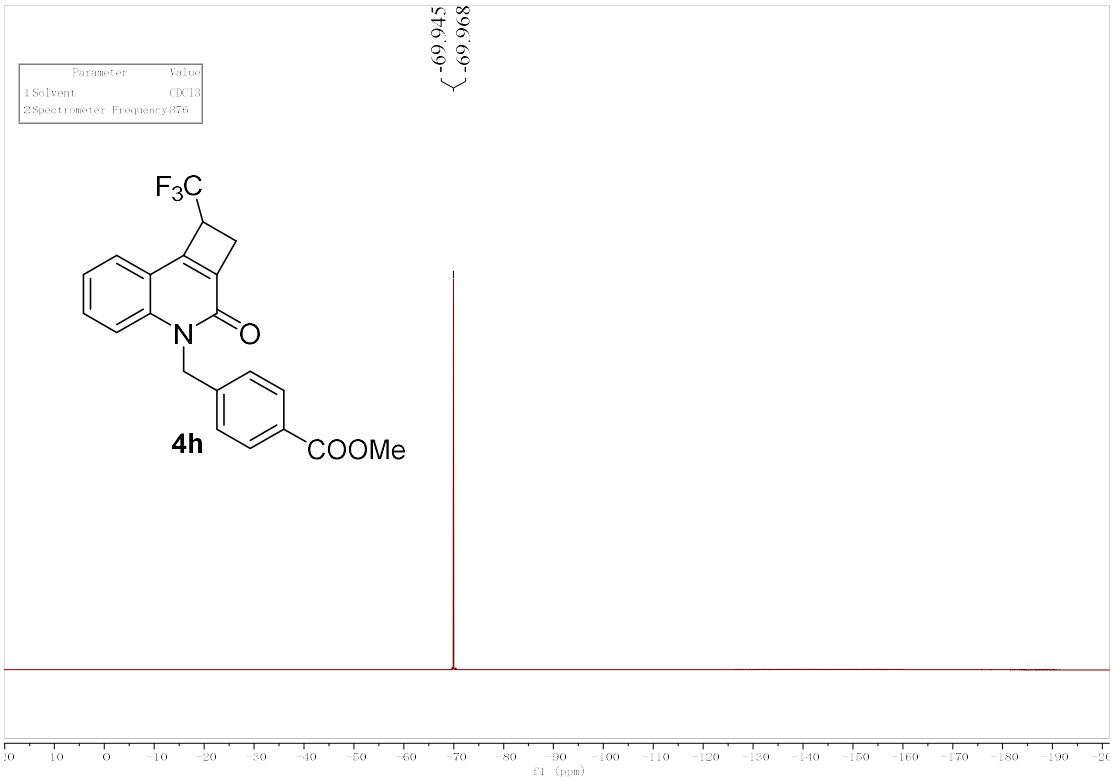
129.306
126.847
126.391
124.092
123.844
122.790
121.334
117.923
116.201
77.320
77.000
76.682
52.040
45.950
44.221
43.896
43.568
43.243
29.846
29.820
29.795
29.770
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
4h
COOMe
f1 (ppm)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Parameter Value
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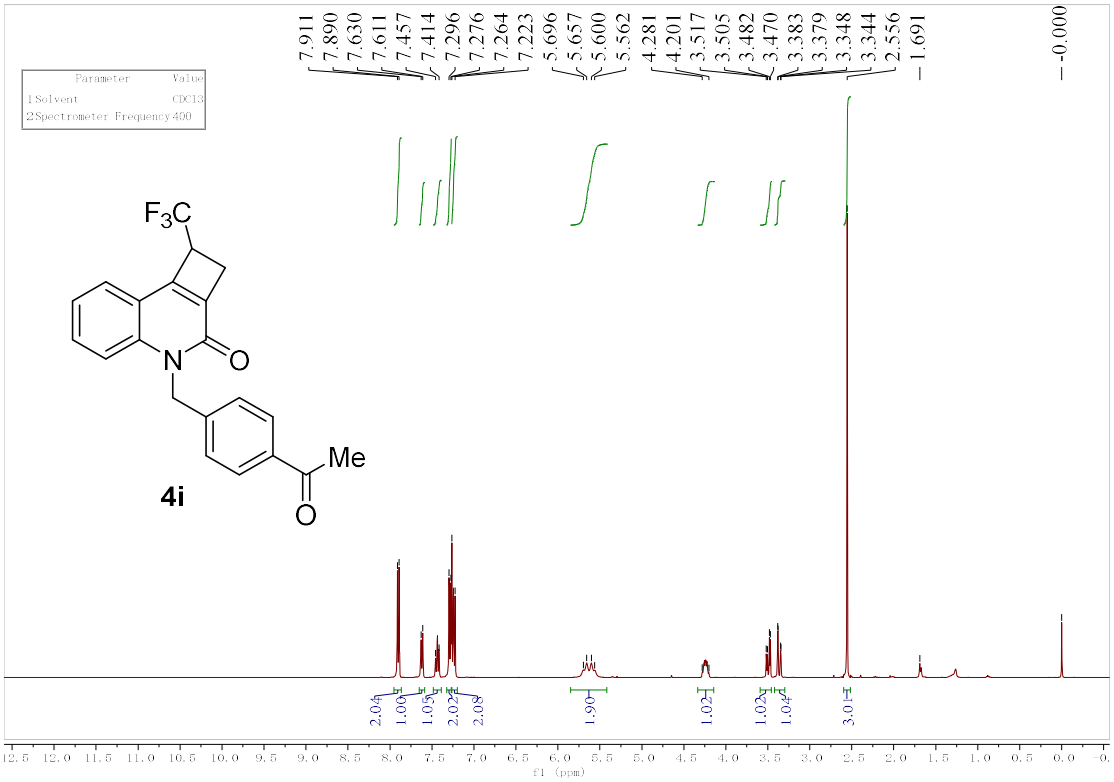
F3C
N
O
4h
COOMe
f1 (ppm)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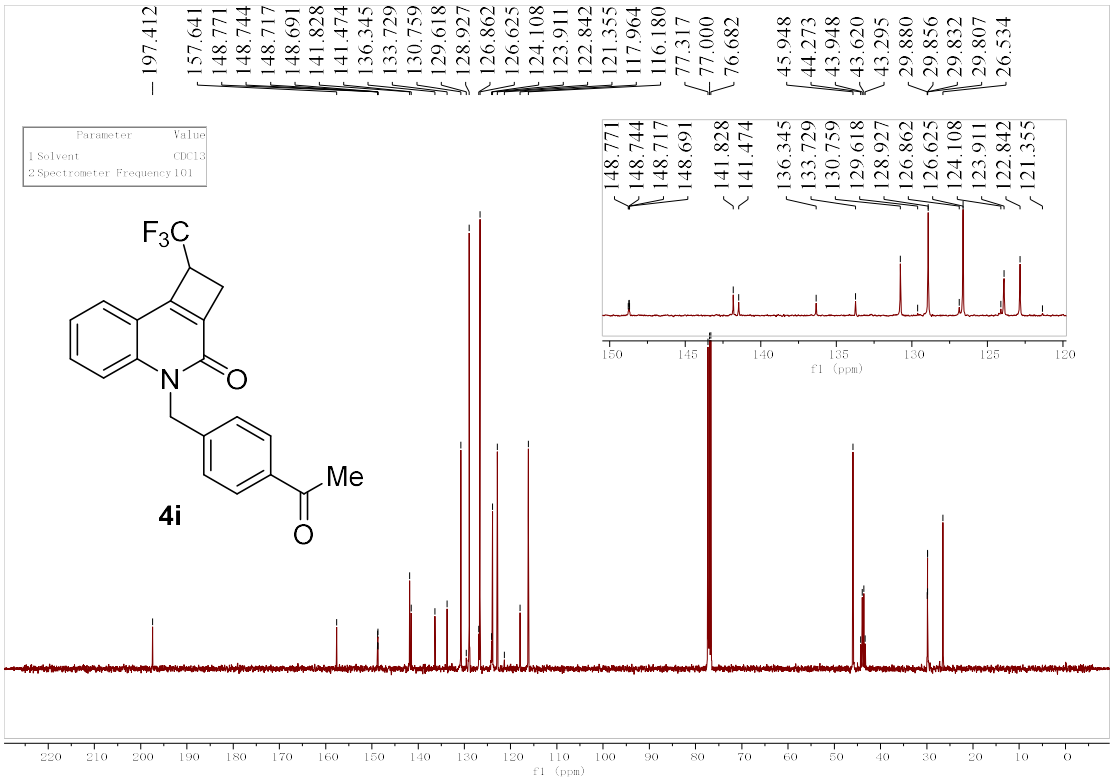
7.630
7.611
7.457
7.414
7.296
7.276
7.264
7.223
5.696
5.657
5.600
5.562
4.281
4.201
3.517
3.505
3.482
3.470
3.383
3.379
3.348
3.344
2.556
1.691
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
Me
O
4i
2.04
1.00
1.05
2.02
2.08
1.90
1.02
1.02
1.04
3.01
f1 (ppm)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148.717
148.691
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141.474
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133.729
130.759
129.618
128.927
126.862
126.625
124.108
123.911
122.842
121.355
117.964
116.180
77.317
77.000
76.682
45.948
44.273
43.948
43.620
43.295
29.880
29.856
29.832
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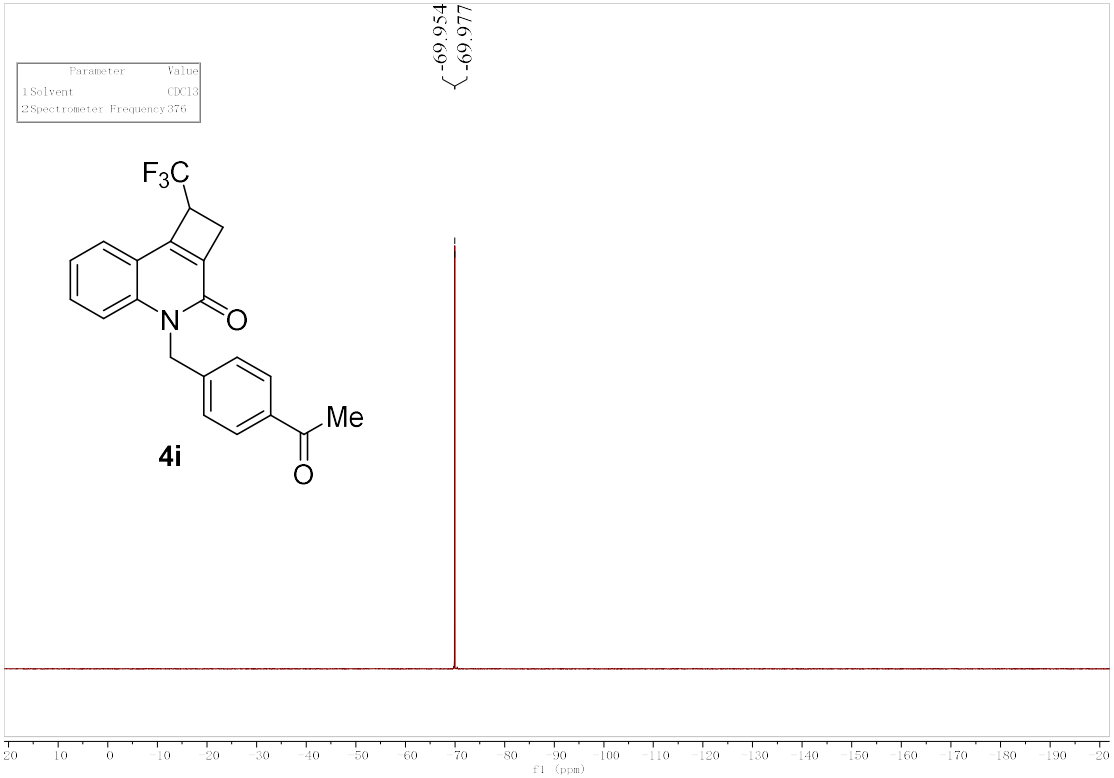
26.534
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
O
Me
4i
f1 (ppm)


-69.954
-69.977
Parameter Value
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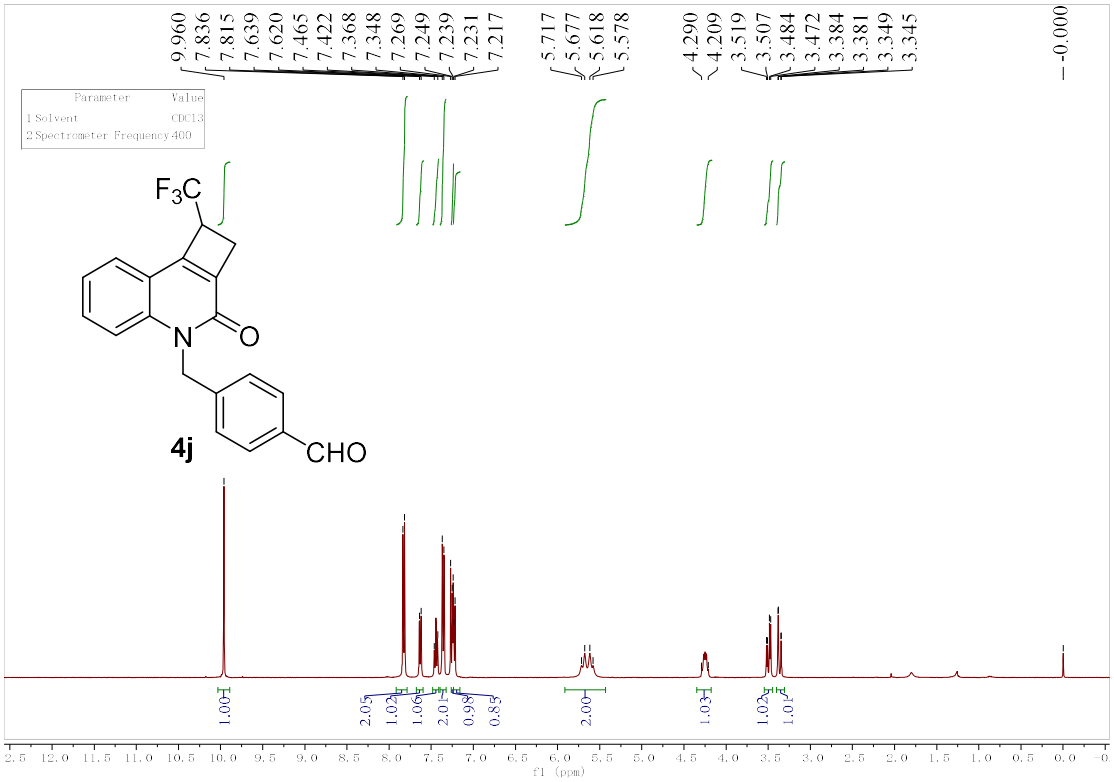
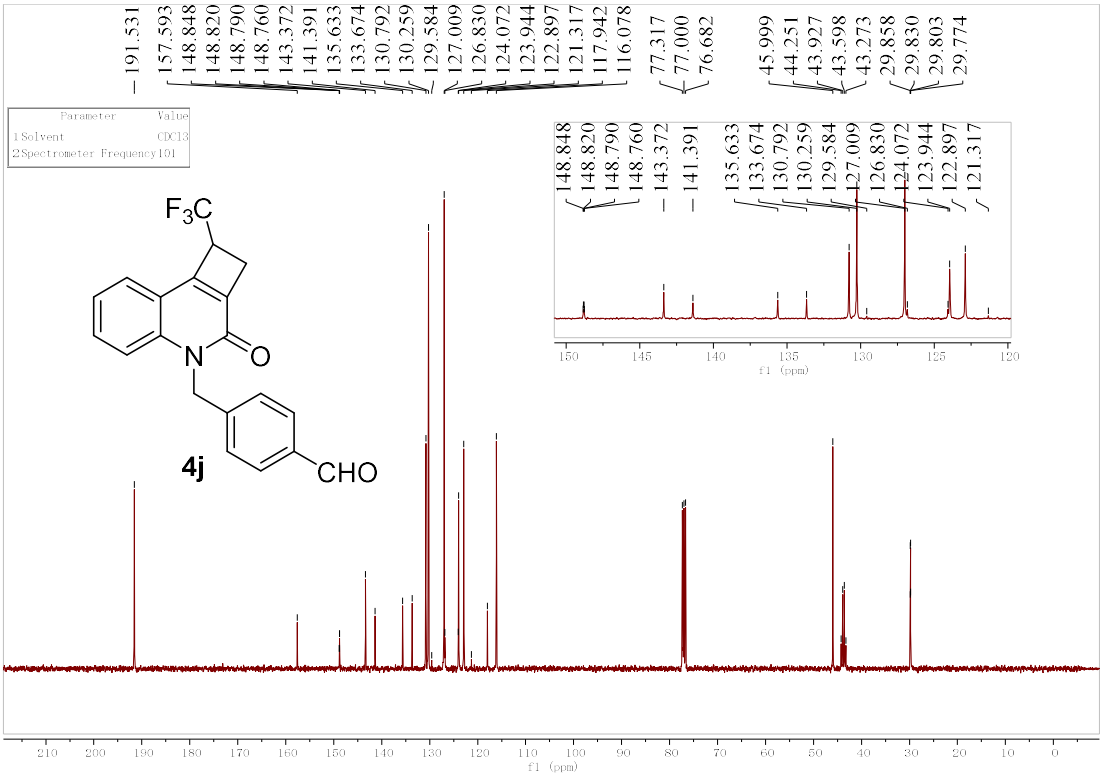
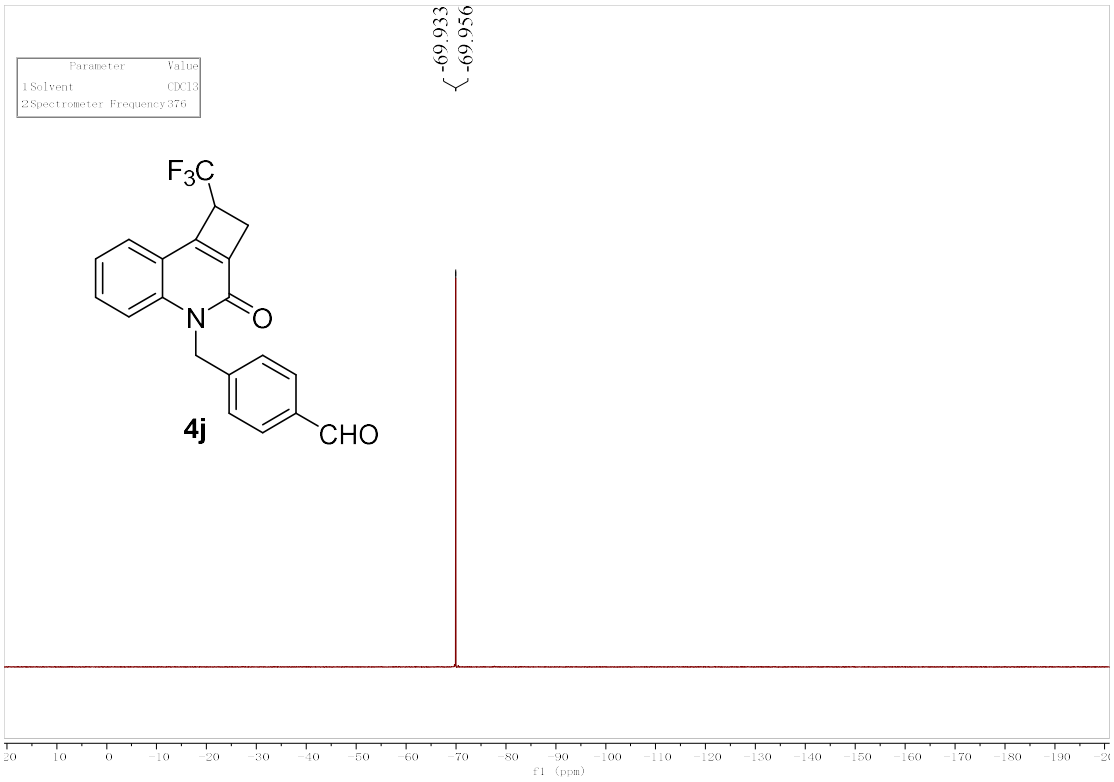
2 Spectrometer Frequency 376
F3C
N
O
O
Me
4i
f1 (ppm)


9.960
7.836
7.815
7.639
7.620
7.465
7.422
7.368
7.348
7.269
7.249
7.239
7.231
7.217
5.717
5.677
5.618
5.578
4.290
4.209
3.519
3.507
3.484
3.472
3.384
3.381
3.349
3.345
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
CHO
4j
1.00
2.05
1.03
1.06
2.01
0.99
0.85
2.00
1.03
1.02
1.01
f1 (ppm)


191.531
157.593
148.848
148.820
148.790
148.760
143.372
141.391
135.633
133.674
130.792
130.259
129.584
127.009
126.830
124.072
123.944
122.897
121.317
117.942
116.078
77.317
77.000
76.682
45.999
44.251
43.927
43.598
43.273
29.858
29.830
29.803
29.774
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
CHO
4j
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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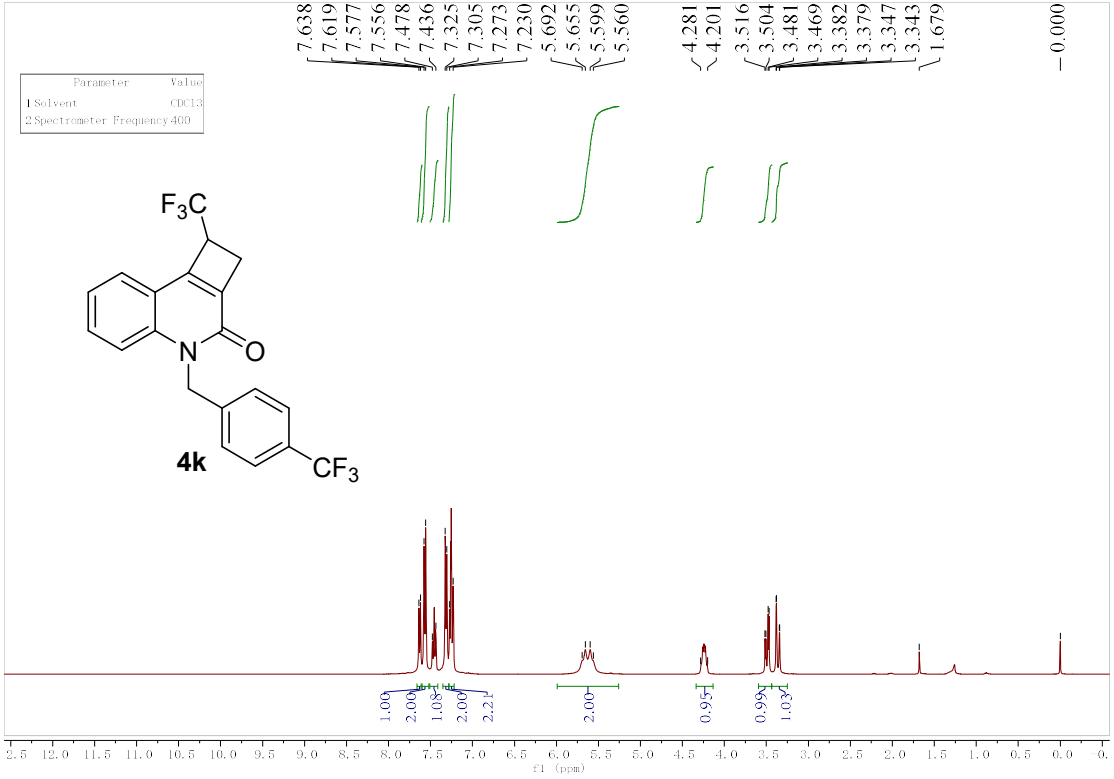
-69.956
F3C
N
O
4j
CHO
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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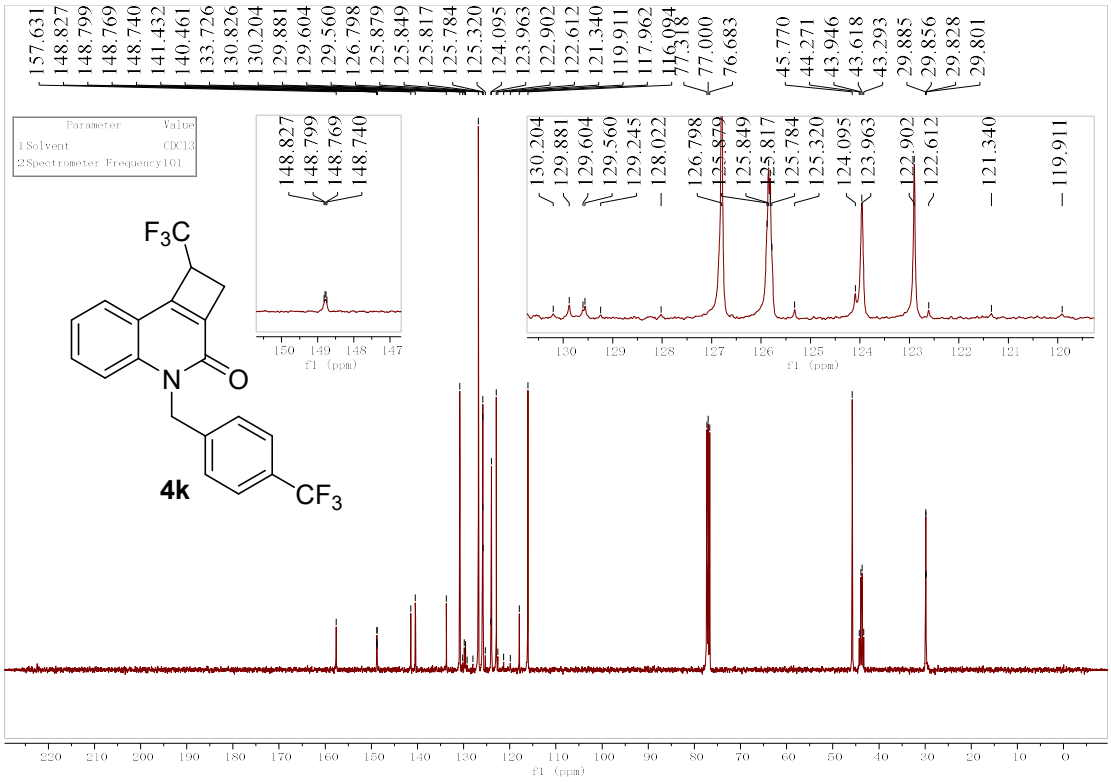
7.619
7.577
7.556
7.478
7.436
7.325
7.305
7.273
7.230
5.692
5.655
5.599
5.560
4.281
4.201
3.516
3.504
3.481
3.469
3.382
3.379
3.347
3.343
1.679
0.000
F3C
N
O
4k
CF3
1.00
2.00
1.05
2.00
2.21
2.00
0.95
0.99
1.03
f1 (ppm)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148.827
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148.769
148.740
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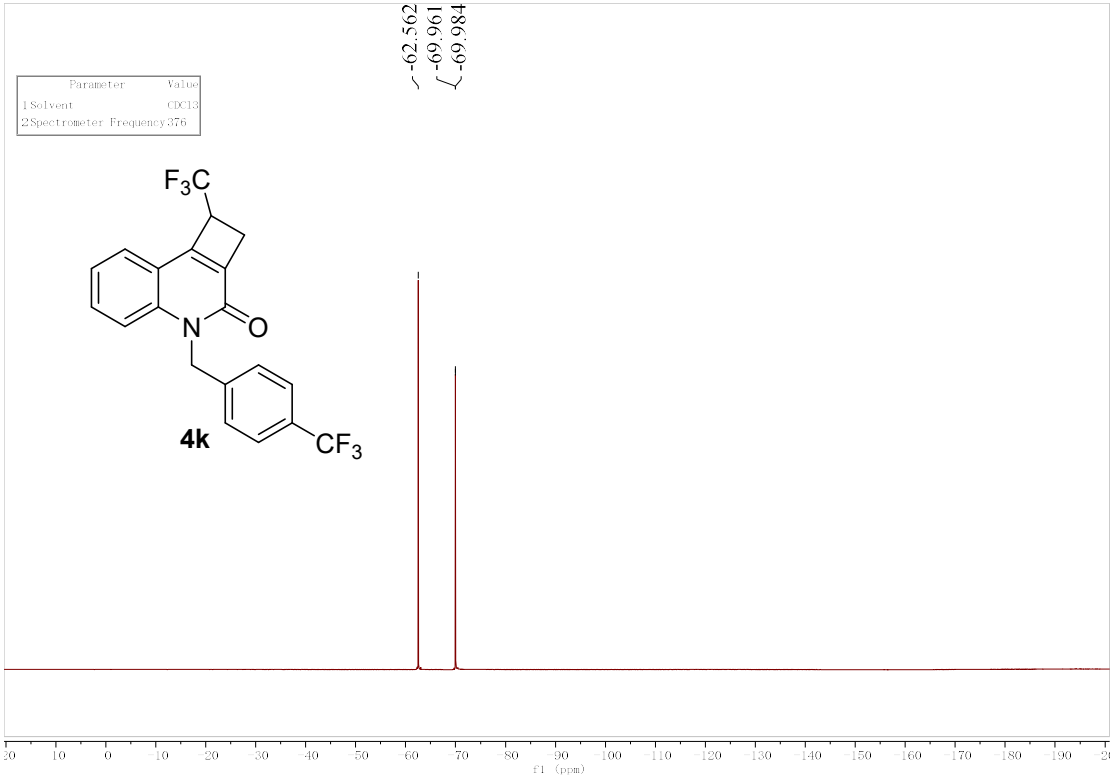
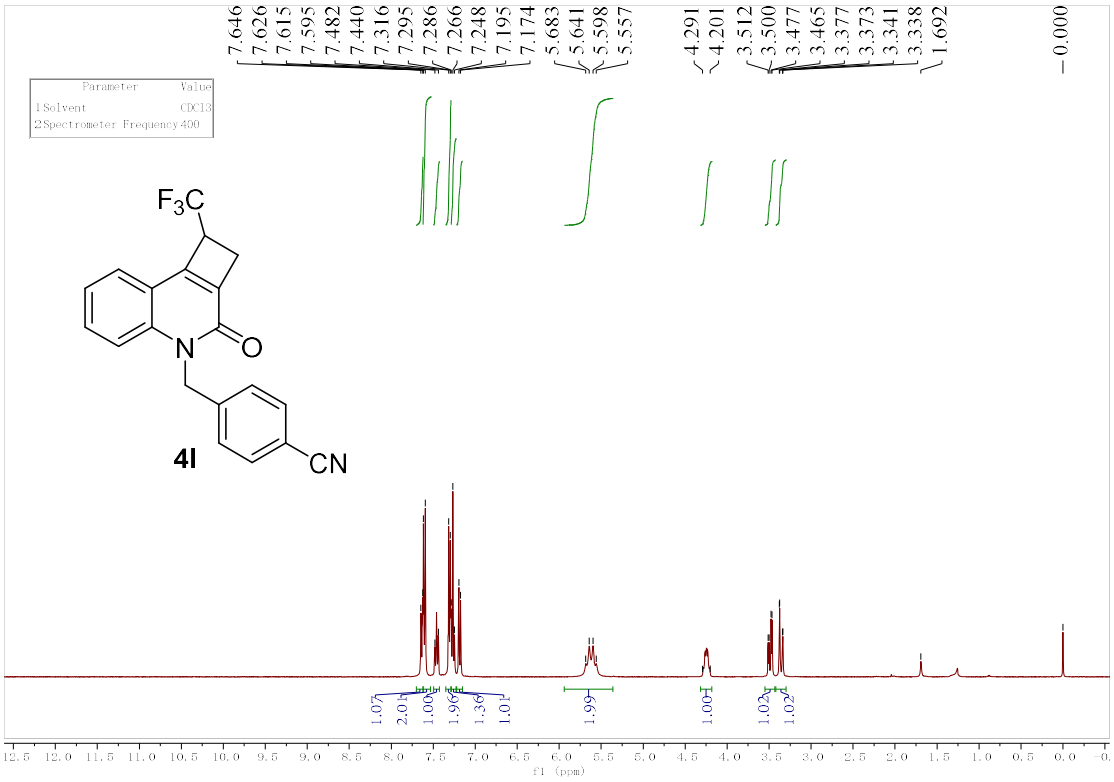
140.461
133.726
130.826
130.204
129.881
129.604
129.560
126.798
125.879
125.849
125.817
125.784
125.320
124.095
123.963
122.902
122.612
121.340
119.911
117.962
116.094
77.318
77.000
76.683
45.770
44.271
43.946
43.618
43.293
29.885
29.856
29.828
29.801
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
4k
CF3
f1 (ppm)


-62.562
-69.961
-69.984
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F3C
N
O
4k
CF3
f1 (ppm)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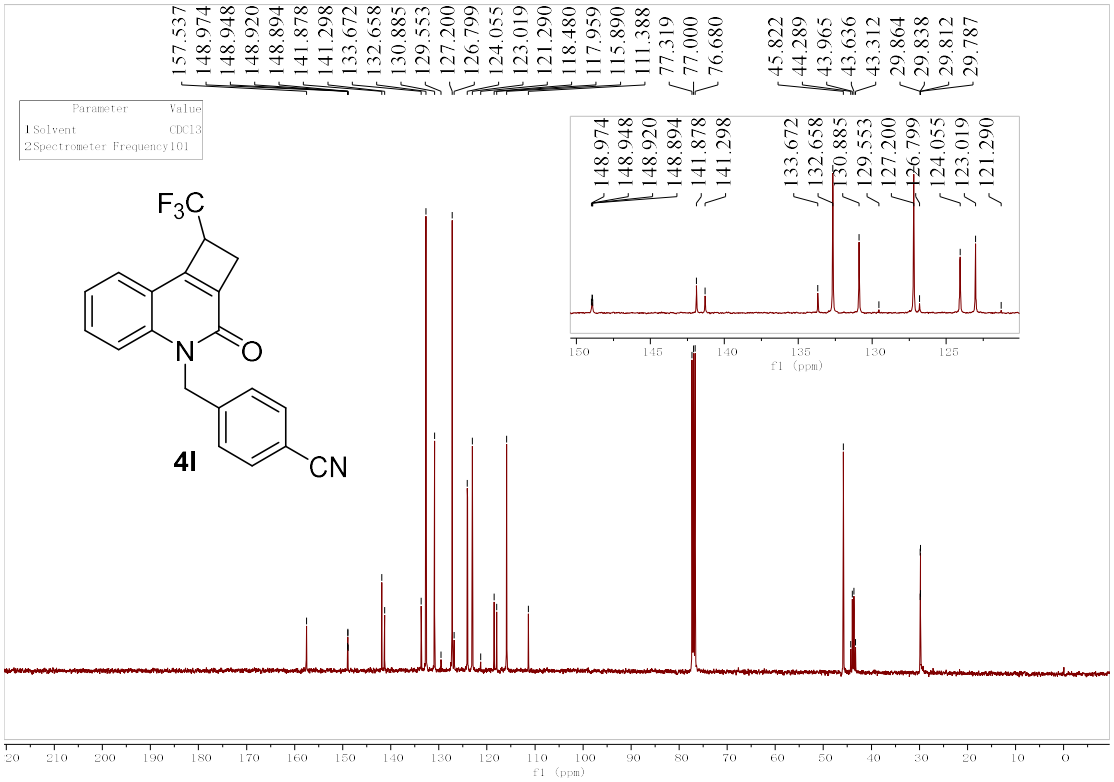
7.626
7.615
7.595
7.482
7.440
7.316
7.295
7.286
7.266
7.248
7.195
7.174
5.683
5.641
5.598
5.557
4.291
4.201
3.512
3.500
3.477
3.465
3.377
3.373
3.341
3.338
1.692
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
N
O
CN
4l
1.07
2.01
1.00
1.96
1.38
1.01
1.99
1.00
1.02
1.02
f1 (ppm)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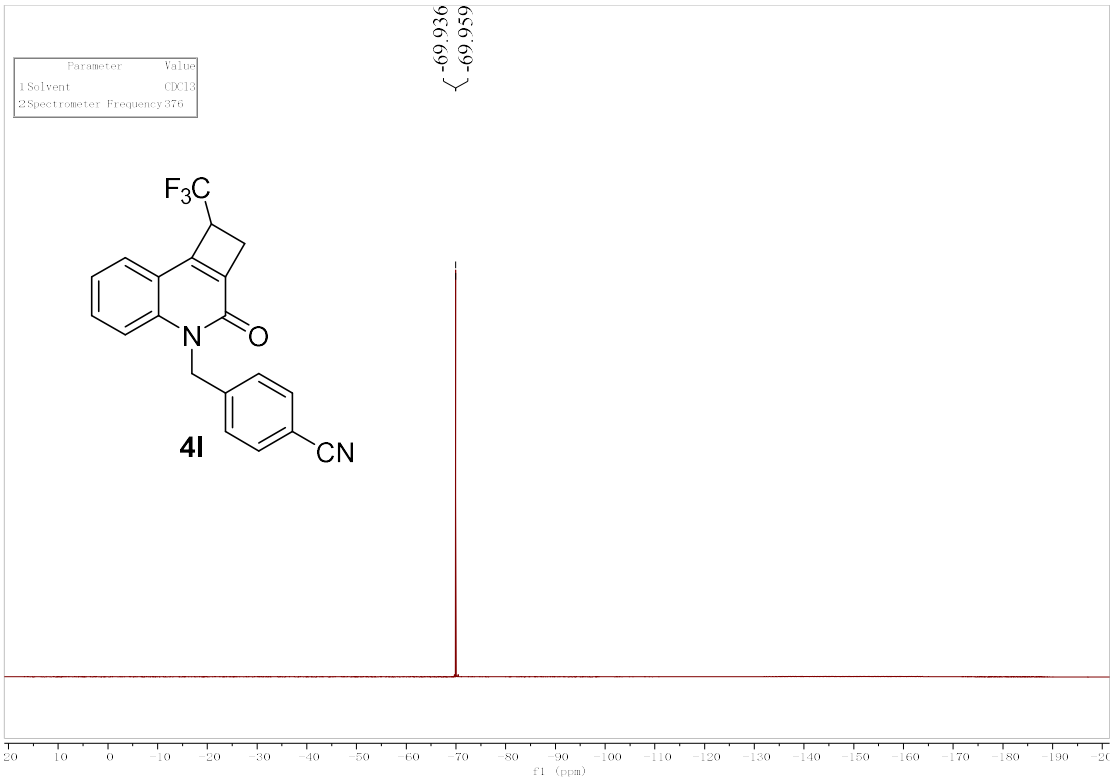
148.948
148.920
148.894
141.878
141.298
133.672
132.658
130.885
129.553
127.200
126.799
124.055
123.019
121.290
118.480
117.959
115.890
111.388
77.319
77.000
76.680
45.822
44.289
43.965
43.636
43.312
29.864
29.838
29.812
29.787
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
CN
4l
f1 (ppm)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2 Spectrometer Frequency 376
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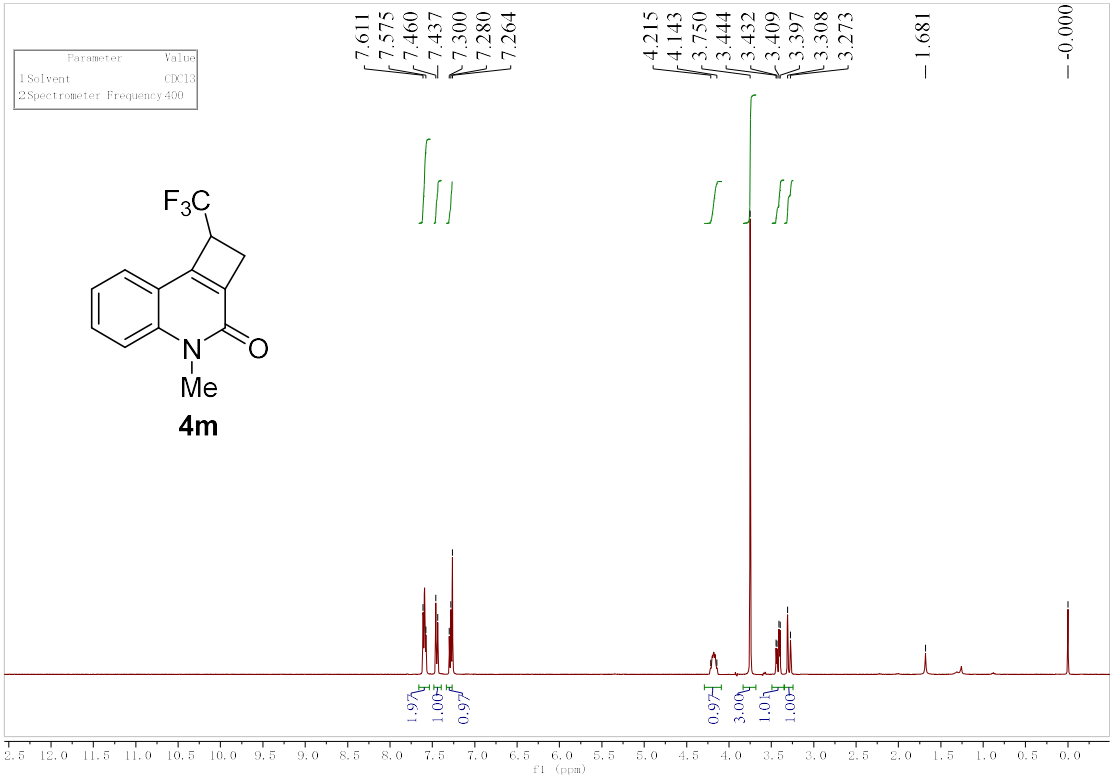
-69.959
F3C
N
O
CN
4l
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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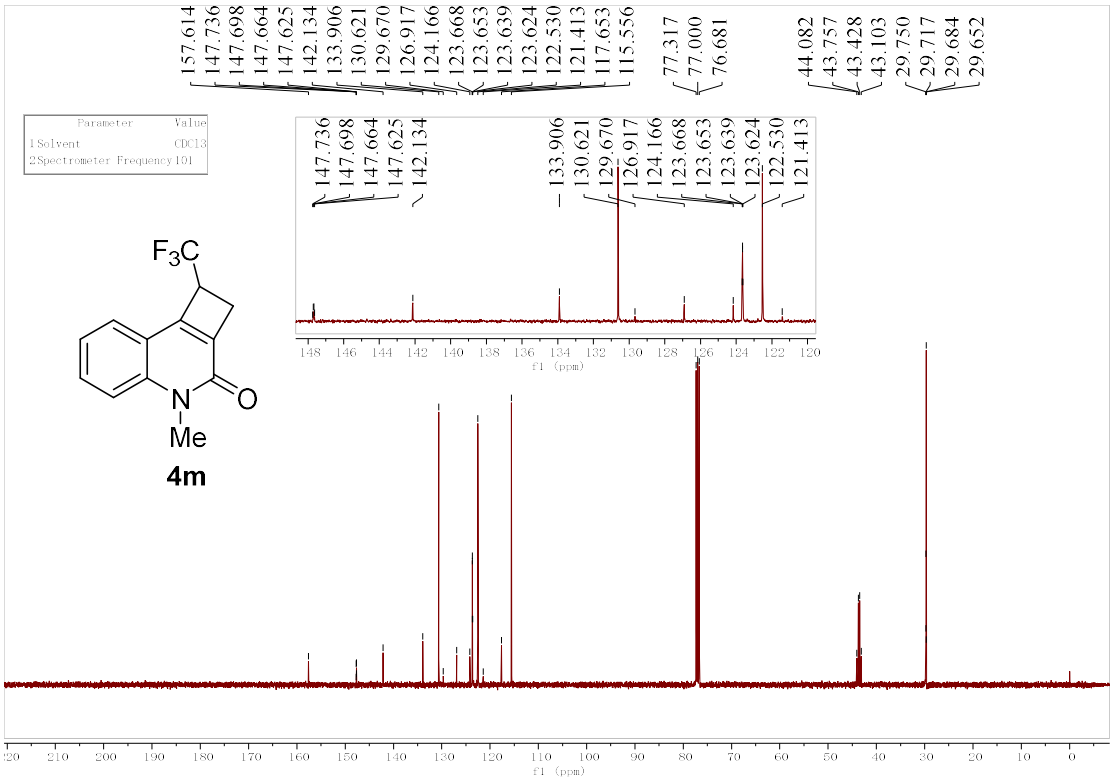
7.575
7.460
7.437
7.300
7.280
7.264
4.215
4.143
3.750
3.444
3.432
3.409
3.397
3.308
3.273
1.681
-0.000
F3C
N
O
Me
4m
1.97
1.00
0.97
0.97
3.00
1.01
1.00
f1 (ppm)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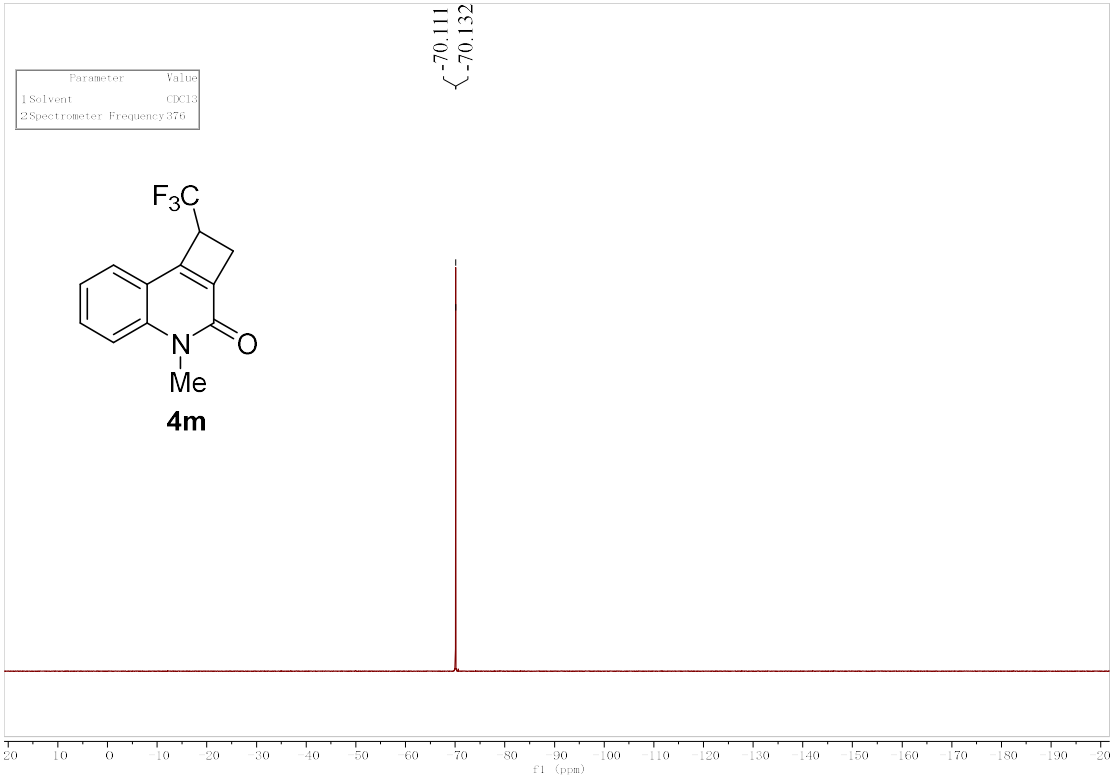
147.736
147.698
147.664
147.625
142.134
133.906
130.621
129.670
126.917
124.166
123.668
123.653
123.639
123.624
122.530
121.413
117.653
115.556
77.317
77.000
76.681
44.082
43.757
43.428
43.103
29.750
29.717
29.684
29.652
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
Me
4m
f1 (ppm)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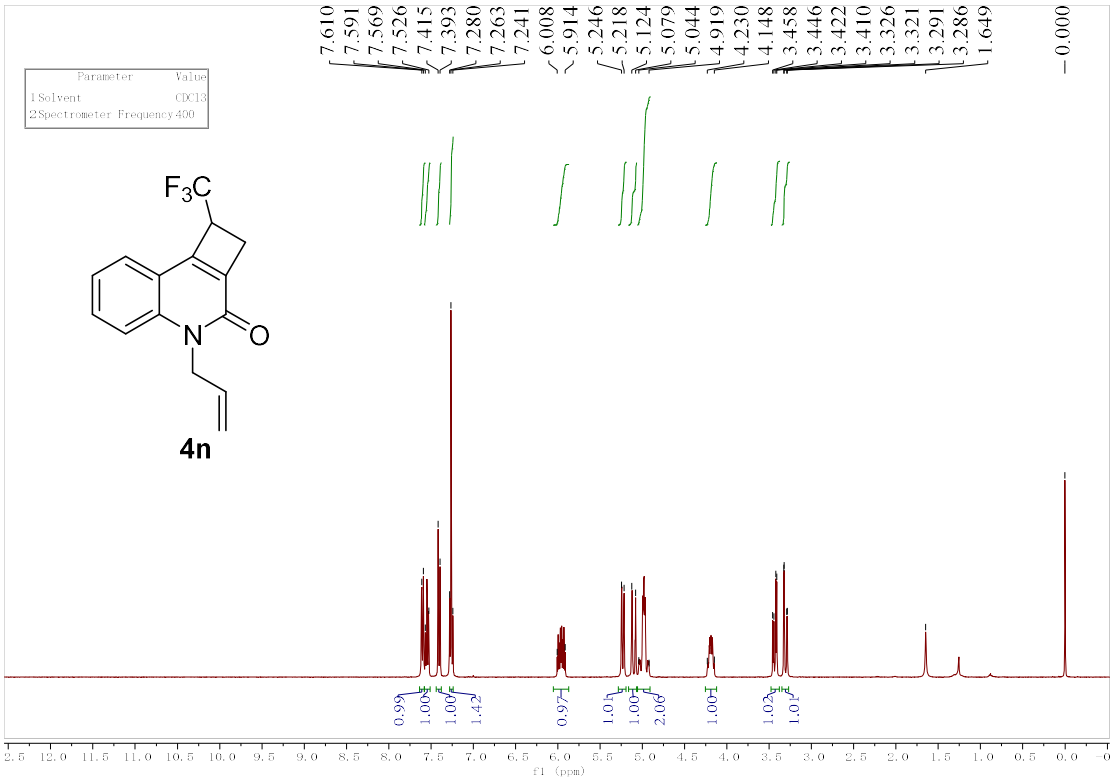
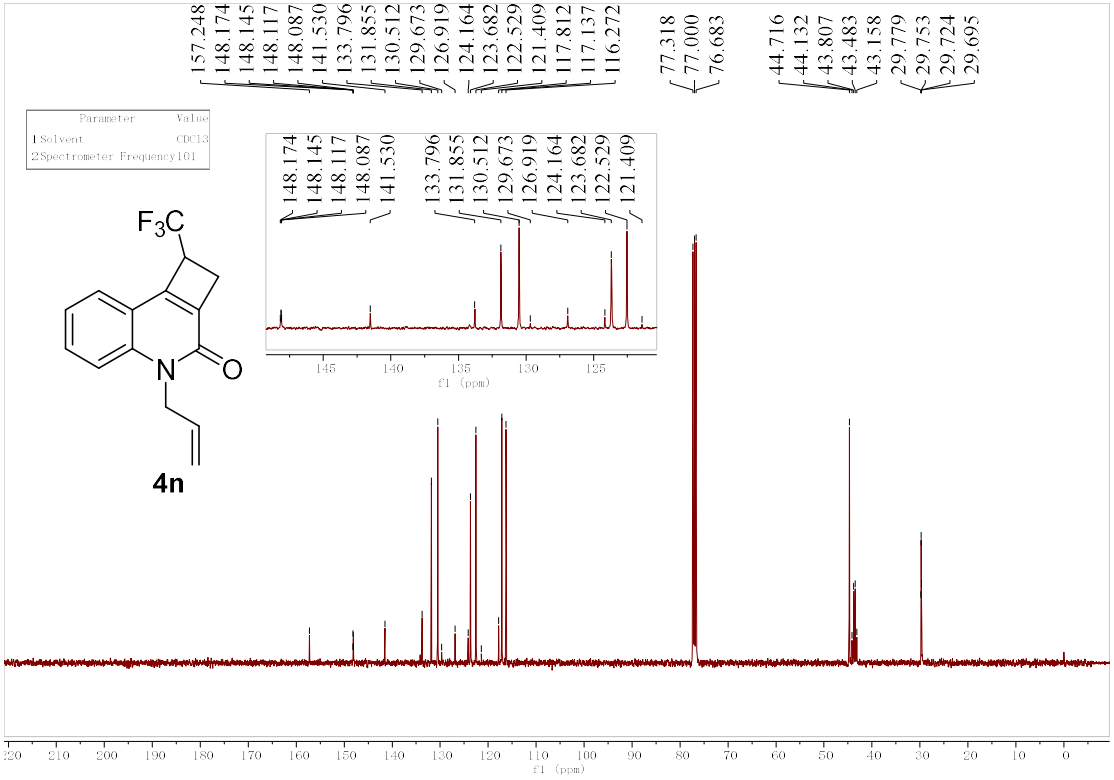
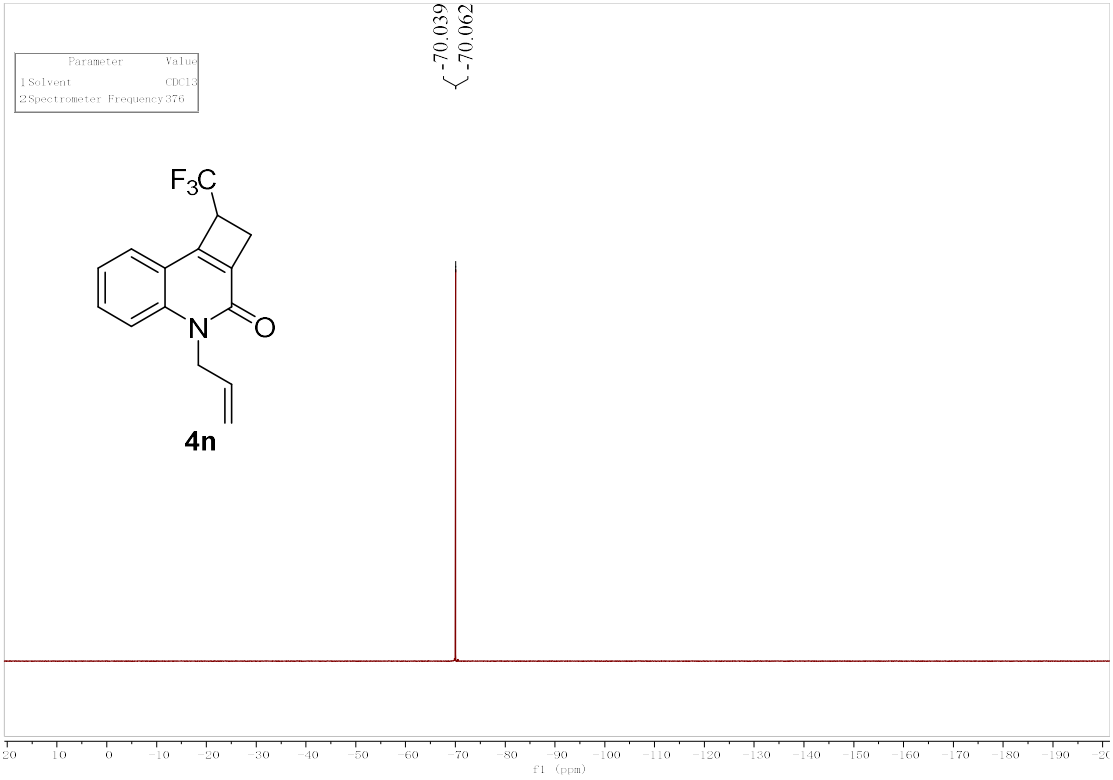
-70.132
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F3C
N
O
Me
4m
f1 (ppm)


7.610
7.591
7.569
7.526
7.415
7.393
7.280
7.263
7.241
6.008
5.914
5.246
5.218
5.124
5.079
5.044
4.919
4.230
4.148
3.458
3.446
3.422
3.410
3.326
3.321
3.291
3.286
1.649
0.000
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 400
F3C
N
O
4n
0.99
1.06
1.00
1.42
0.97
1.01
1.06
2.08
1.00
1.03
1.01
f1 (ppm)


157.248
148.174
148.145
148.117
148.087
141.530
133.796
131.855
130.512
129.673
126.919
124.164
123.682
122.529
121.409
117.812
117.137
116.272
77.318
77.000
76.683
44.716
44.132
43.807
43.483
43.158
29.779
29.753
29.724
29.695
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 101
F3C
N
O
4n
f1 (ppm)
f1 (ppm)


Parameter Value
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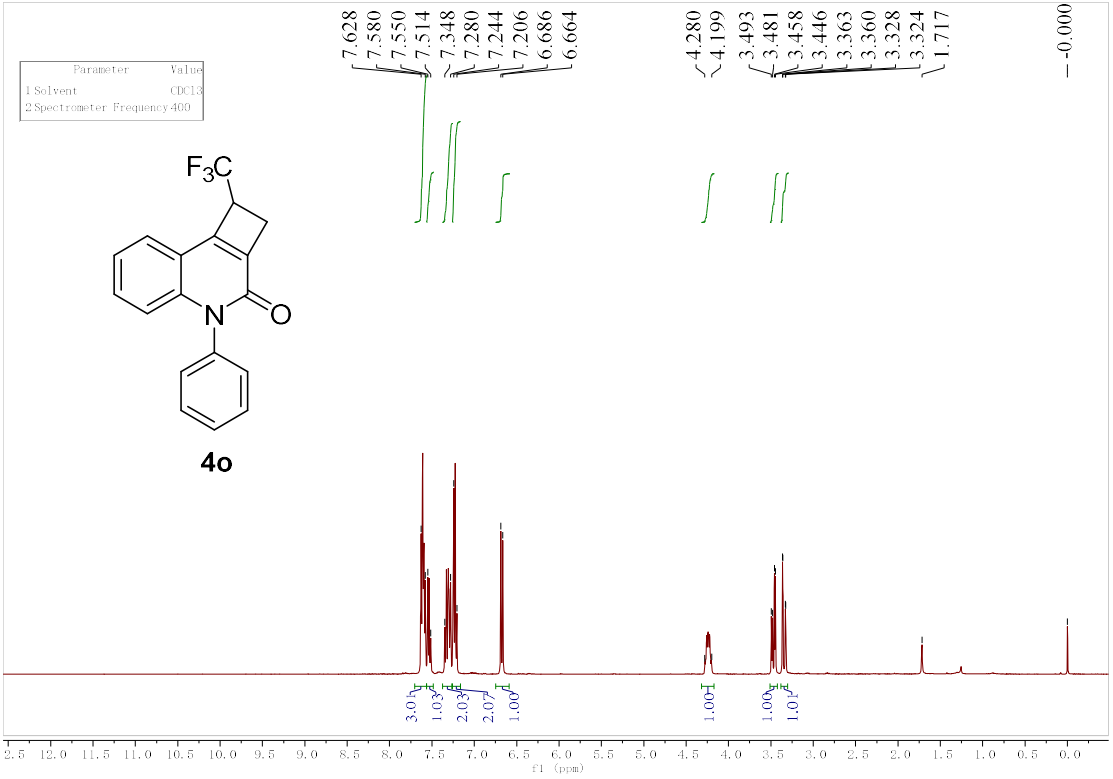
2 Spectrometer Frequency 376
-70.039
-70.062
F3C
N
O
4n
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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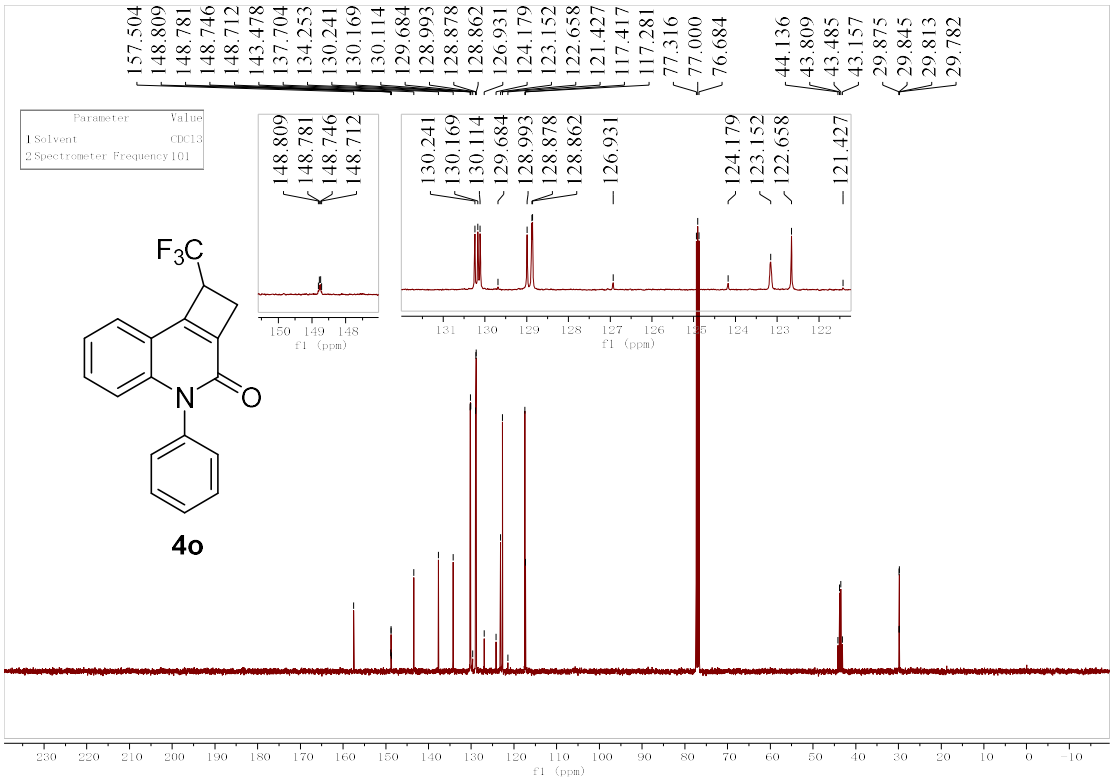
7.580
7.550
7.514
7.348
7.280
7.244
7.206
6.686
6.664
4.280
4.199
3.493
3.481
3.458
3.446
3.363
3.360
3.328
3.324
1.717
-0.000
F3C
N
O
4o
3.01
1.03
2.05
2.07
1.00
1.00
1.00
1.02
f1 (ppm)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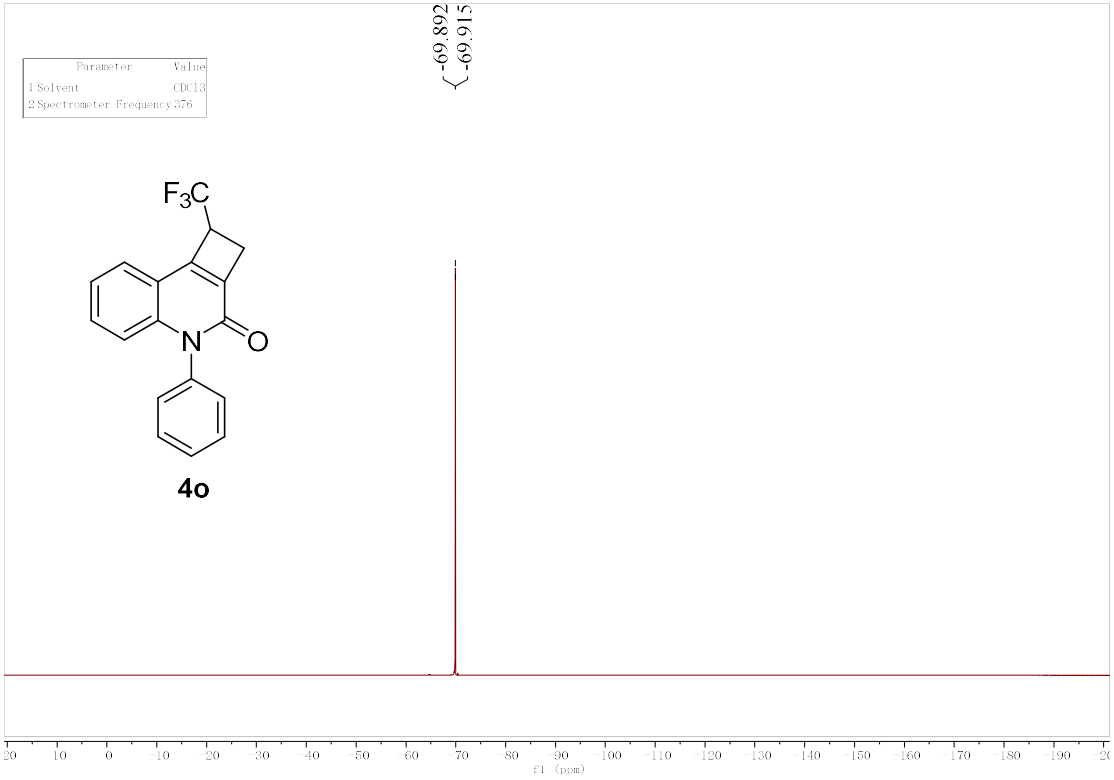
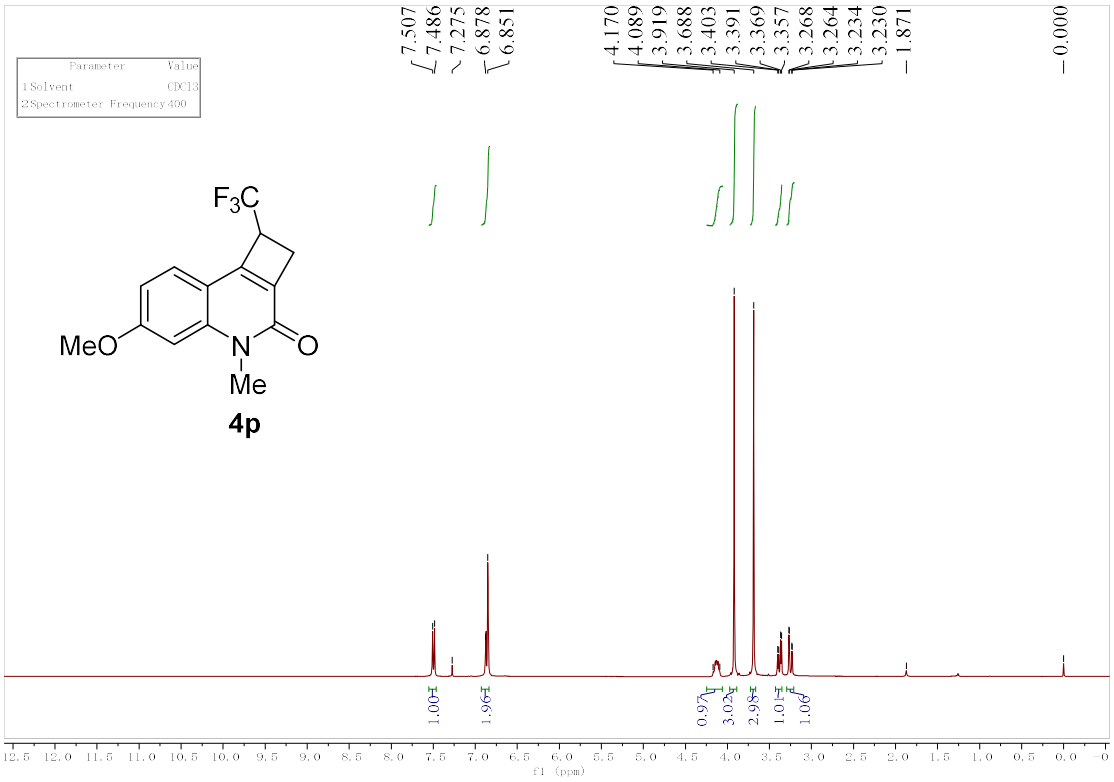
148.781
148.746
148.712
143.478
137.704
134.253
130.241
130.169
130.114
129.684
128.993
128.878
128.862
126.931
124.179
123.152
122.658
121.427
117.417
117.281
77.316
77.000
76.684
44.136
43.809
43.485
43.157
29.875
29.845
29.813
29.782
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
N
O
4o
f1 (ppm)


-69.892
-69.915
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F3C
N
O
4o
f1 (ppm)


Parameter Value
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2Spectrometer Frequency 400
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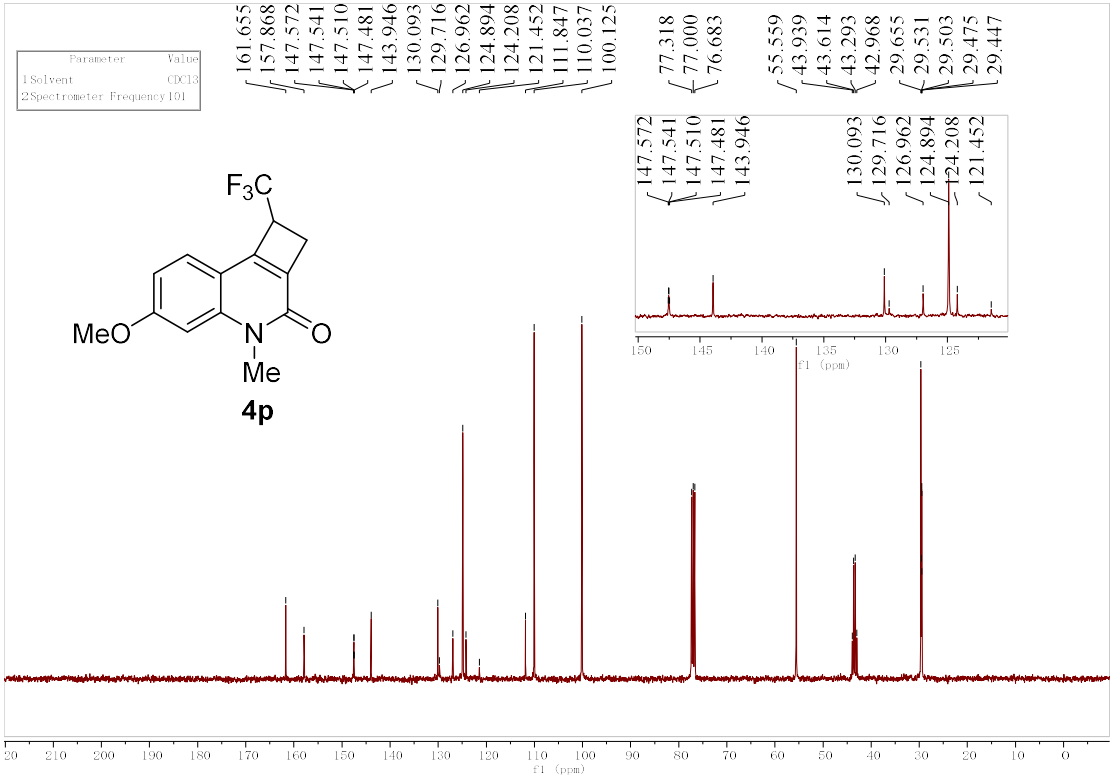
7.486
7.275
6.878
6.851
4.170
4.089
3.919
3.688
3.403
3.391
3.369
3.357
3.268
3.264
3.234
3.230
1.871
0.000
F3C
MeO
N
O
Me
4p
1.00
1.96
0.97
3.02
2.98
1.01
1.00
f1 (ppm)


Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 101
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147.481
143.946
130.093
129.716
126.962
124.894
124.208
121.452
111.847
110.037
100.125
77.318
77.000
76.683
55.559
43.939
43.614
43.293
42.968
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29.531
29.503
29.475
29.447
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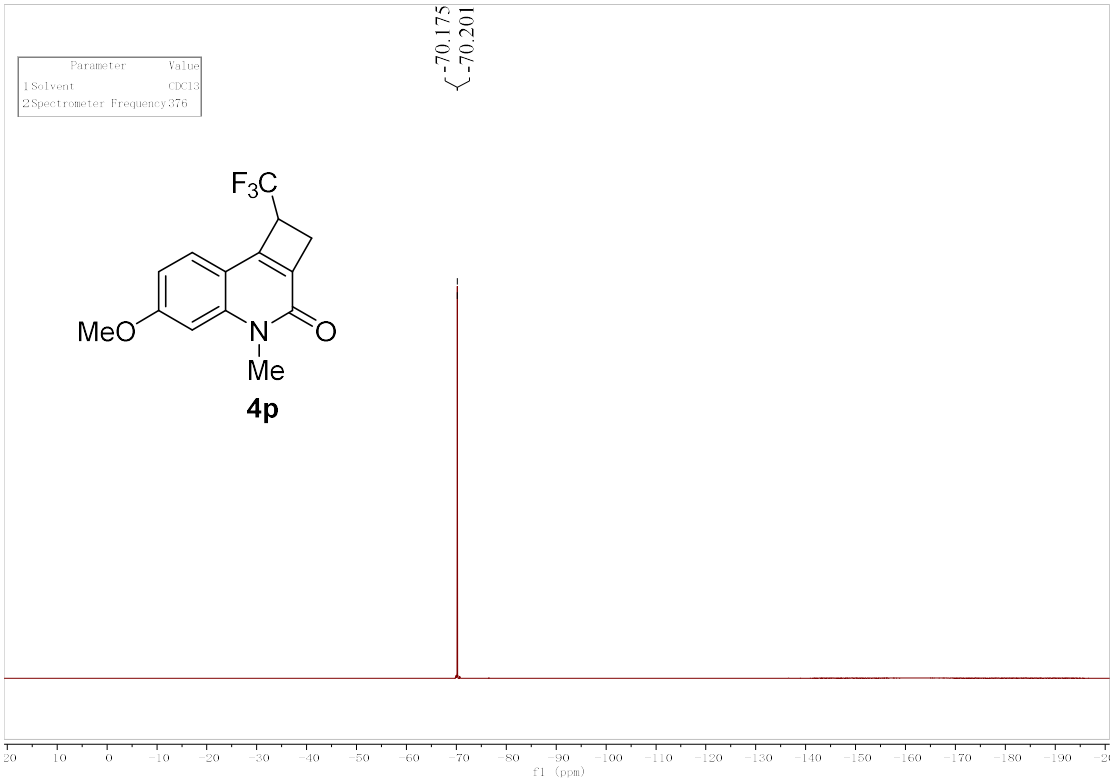
MeO
N
O
Me
4p
f1 (ppm)


Parameter Value
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2 Spectrometer Frequency 376
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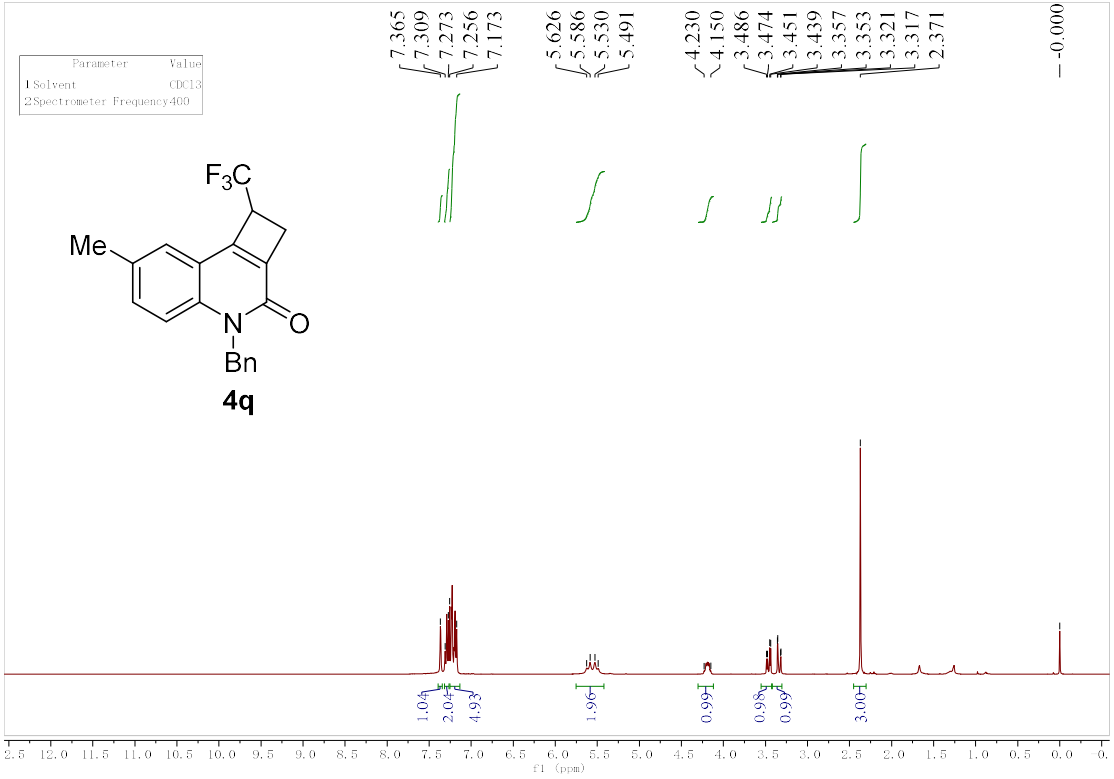
F3C
MeO
N
O
Me
4p
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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7.273
7.256
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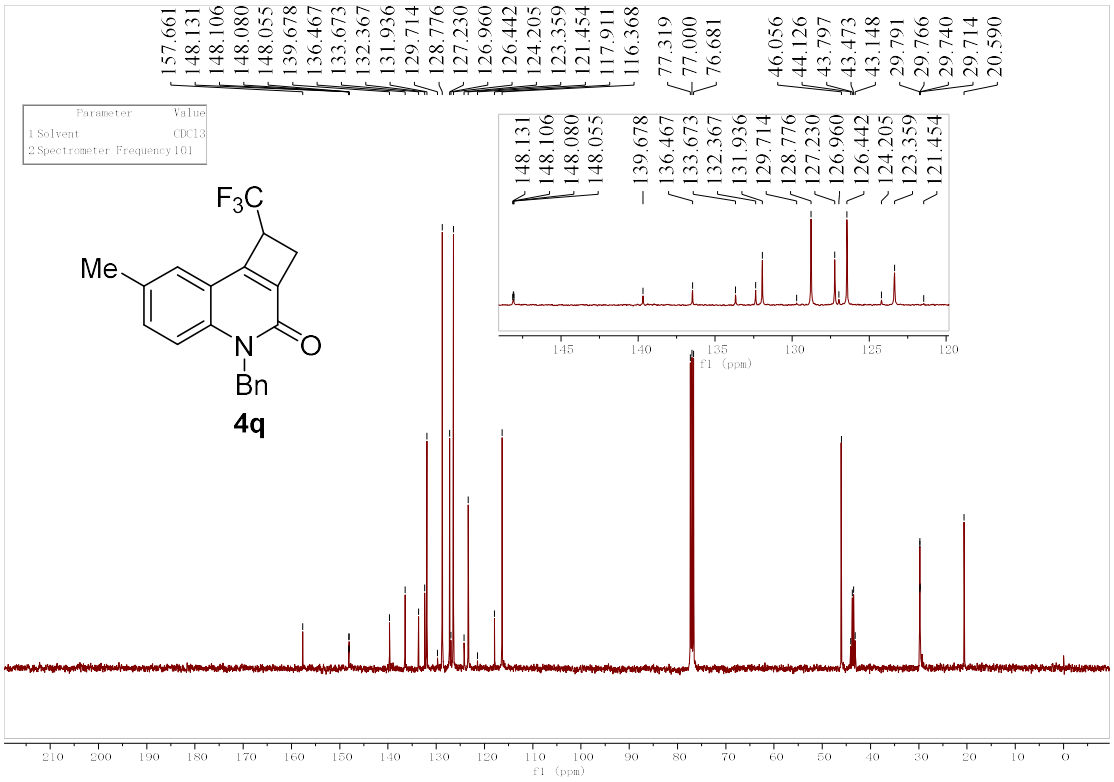
5.626
5.586
5.530
5.491
4.230
4.150
3.486
3.474
3.451
3.439
3.357
3.353
3.321
3.317
2.371
-0.000
F3C
Me
N
O
Bn
4q
1.04
2.04
4.93
1.96
0.99
0.98
0.99
3.00
f1 (ppm)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133.673
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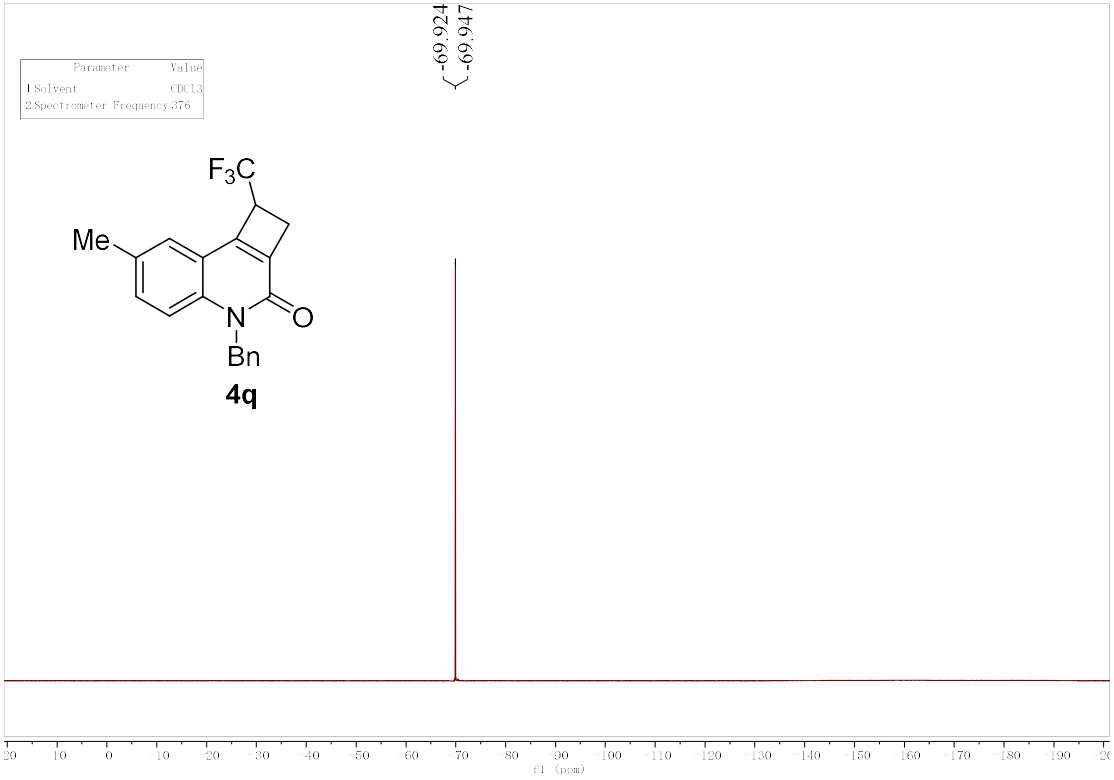
127.230
126.960
126.442
124.205
123.359
121.454
117.911
116.368
77.319
77.000
76.681
46.056
44.126
43.797
43.473
43.148
29.791
29.766
29.740
29.714
20.590
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
Me
N
O
Bn
4q
f1 (ppm)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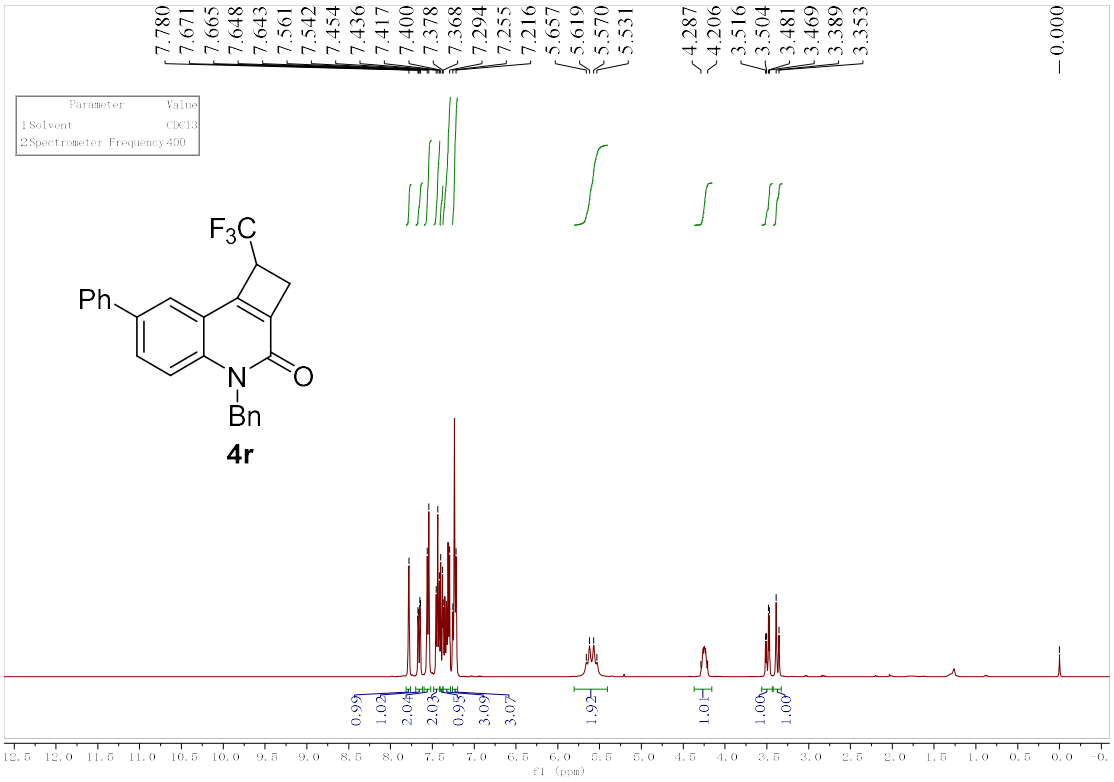
Me
N
O
Bn
4q
f1 (ppm)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7.400
7.378
7.368
7.294
7.255
7.216
5.657
5.619
5.570
5.531
4.287
4.206
3.516
3.504
3.481
3.469
3.389
3.353
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
Ph
N
O
Bn
4r
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2.04
2.03
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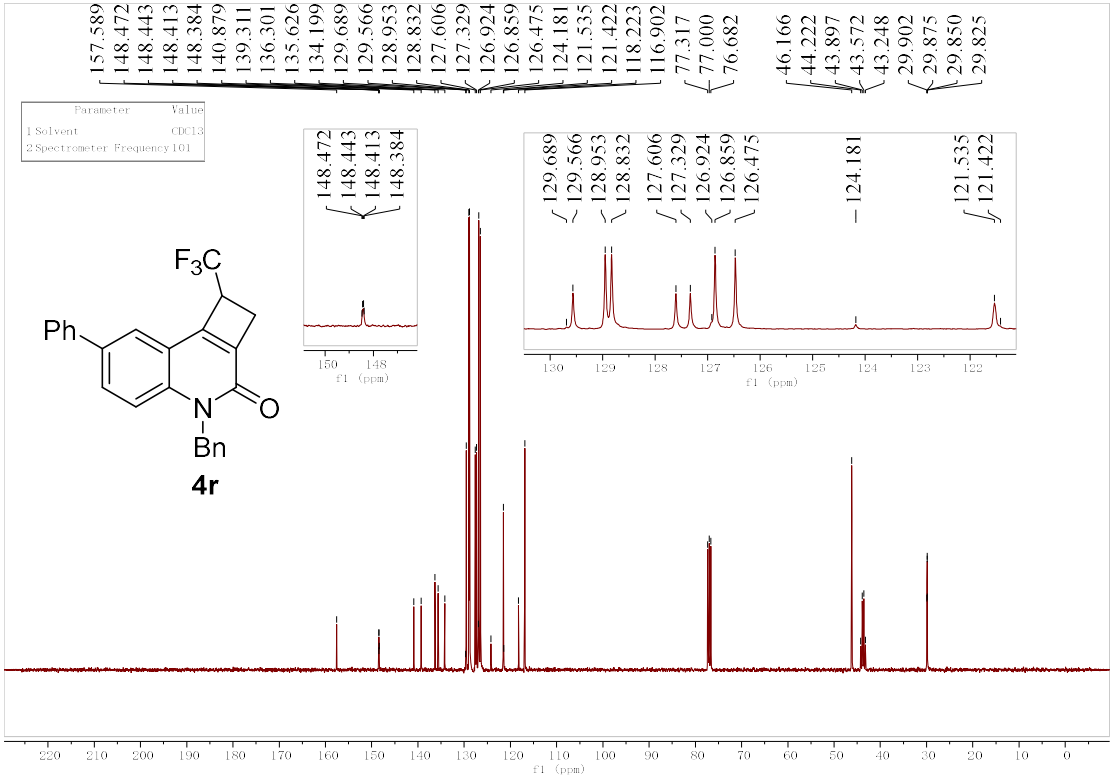
3.07
1.92
1.01
1.00
1.00
f1 (ppm)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129.689
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128.953
128.832
127.606
127.329
126.924
126.859
126.475
124.181
121.535
121.422
118.223
116.902
77.317
77.000
76.682
46.166
44.222
43.897
43.572
43.248
29.902
29.875
29.850
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Parameter Value
1 Solvent CDCl3
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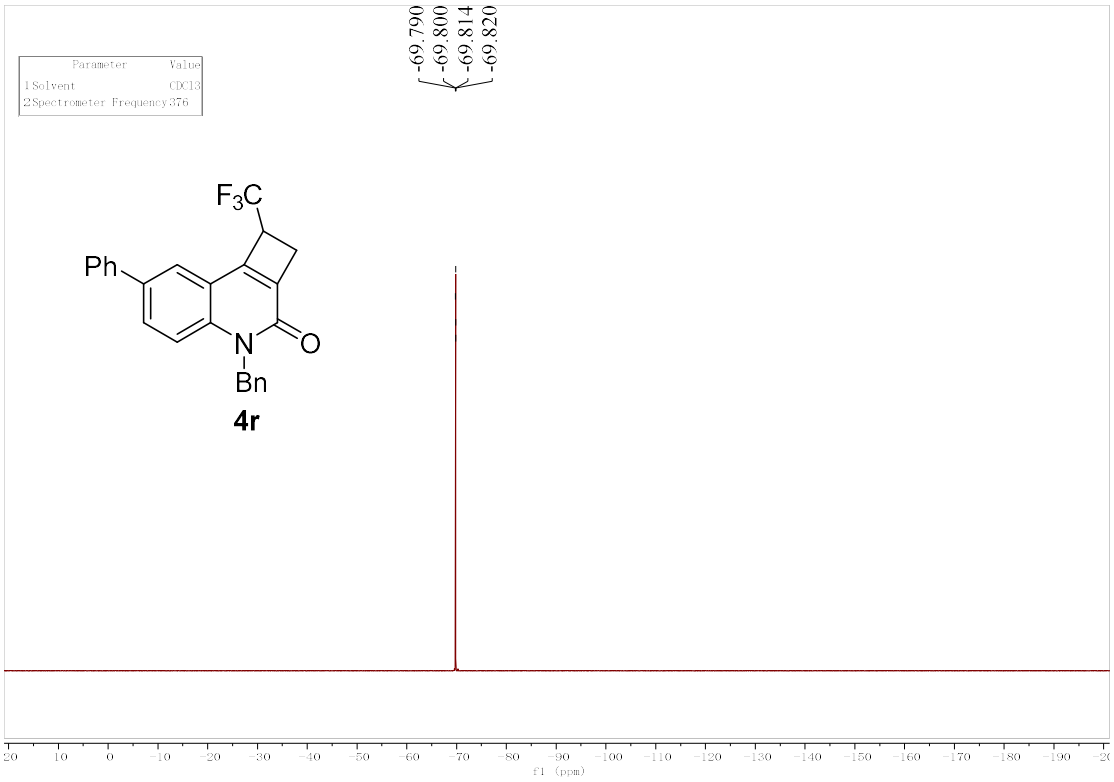
F3C
Ph
N
O
Bn
4r
f1 (ppm)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Parameter Value
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2 Spectrometer Frequency 376
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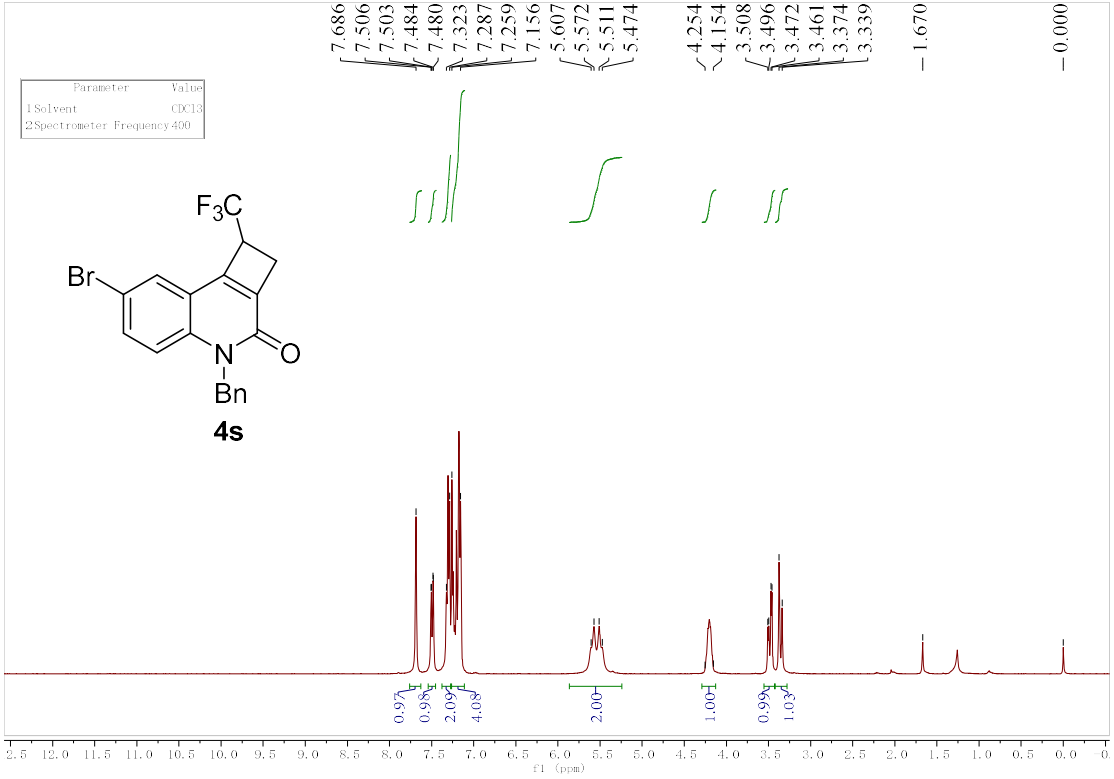
Ph
N
O
Bn
4r
f1 (ppm)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7.323
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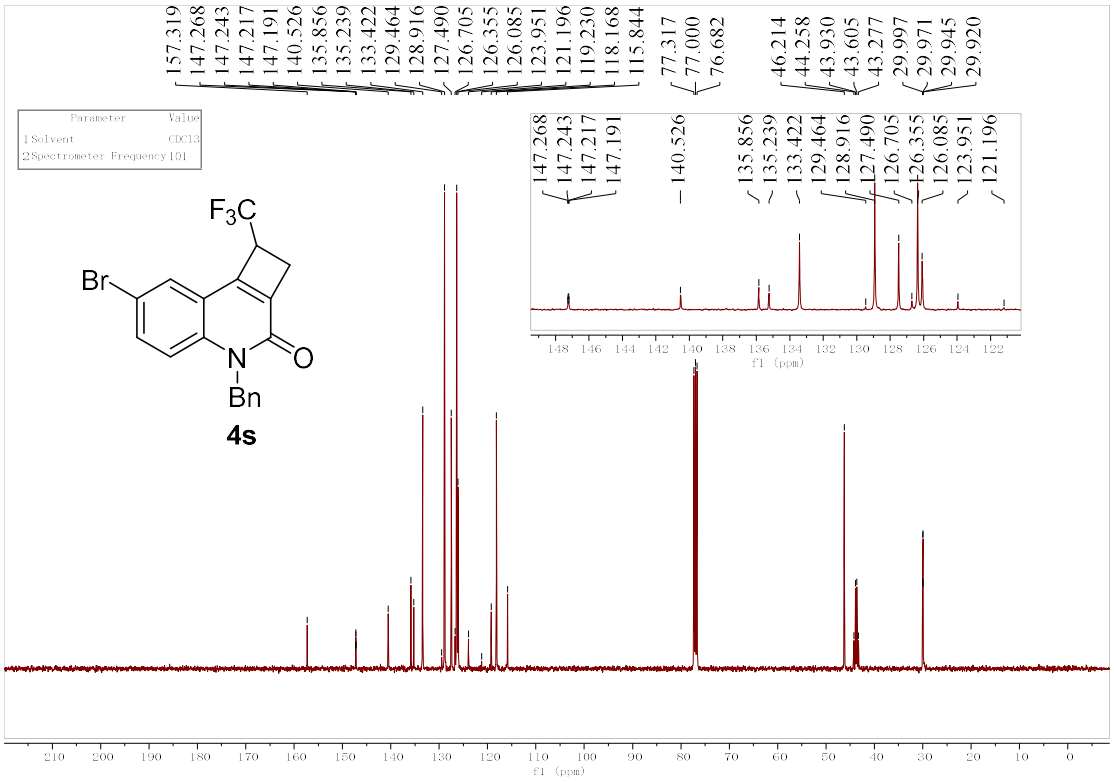
7.259
7.156
5.607
5.572
5.511
5.474
4.254
4.154
3.508
3.496
3.472
3.461
3.374
3.339
1.670
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
Br
N
O
Bn
4s
0.97
0.98
2.09
4.08
2.00
1.00
0.99
1.03
f1 (ppm)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147.268
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147.217
147.191
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135.239
133.422
129.464
128.916
127.490
126.705
126.355
126.085
123.951
121.196
119.230
118.168
115.844
77.317
77.000
76.682
46.214
44.258
43.930
43.605
43.277
29.997
29.971
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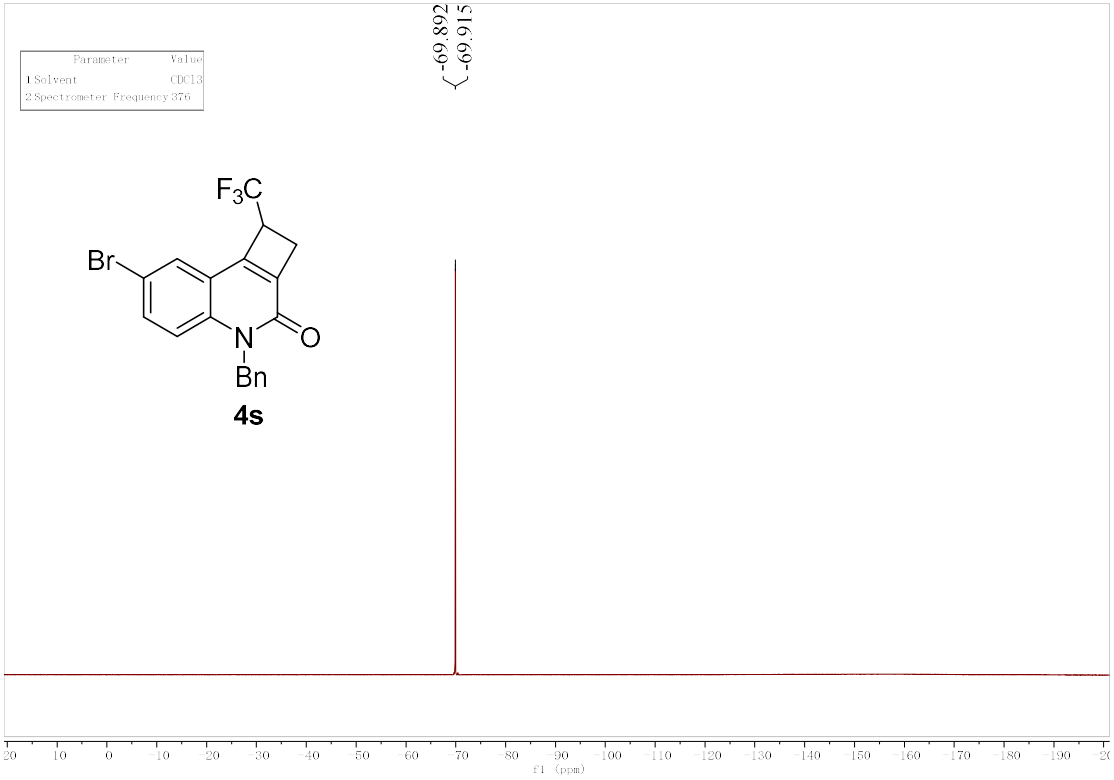
29.920
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
Br
N
O
Bn
4s
f1 (ppm)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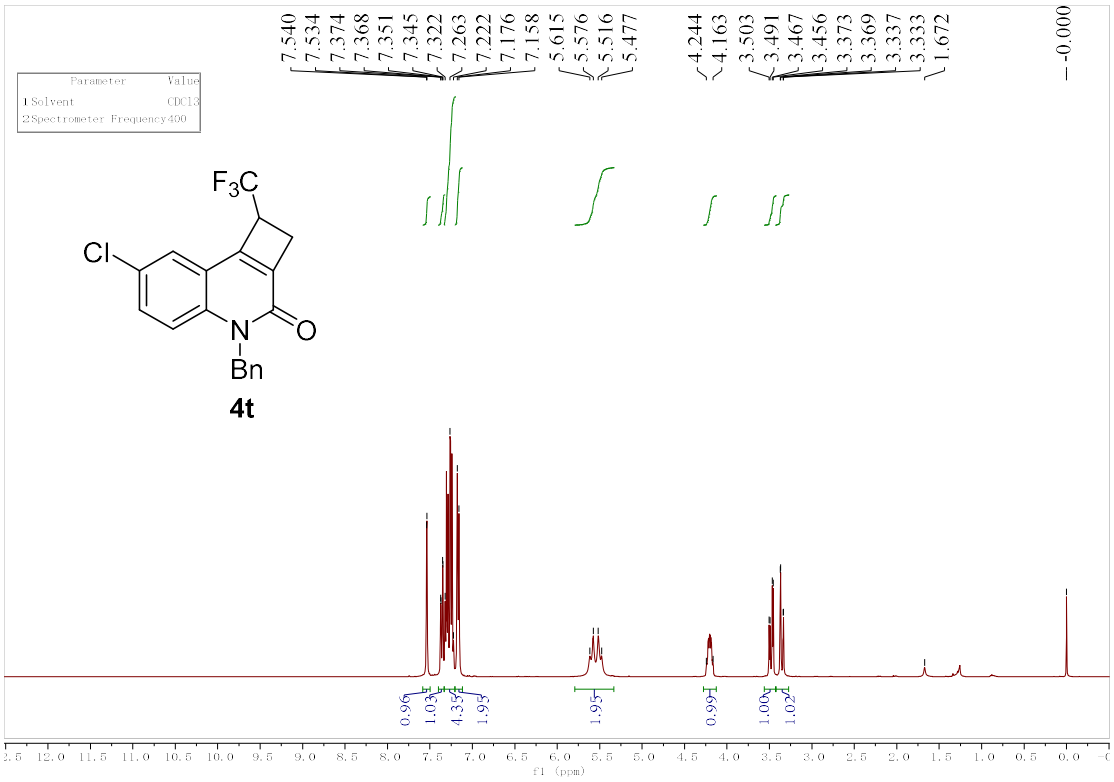
-69.915
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F3C
Br
N
O
Bn
4s
f1 (ppm)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5.516
5.477
4.244
4.163
3.503
3.491
3.467
3.456
3.373
3.369
3.337
3.333
1.672
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F3C
Cl
N
O
Bn
4t
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1.03
4.35
1.95
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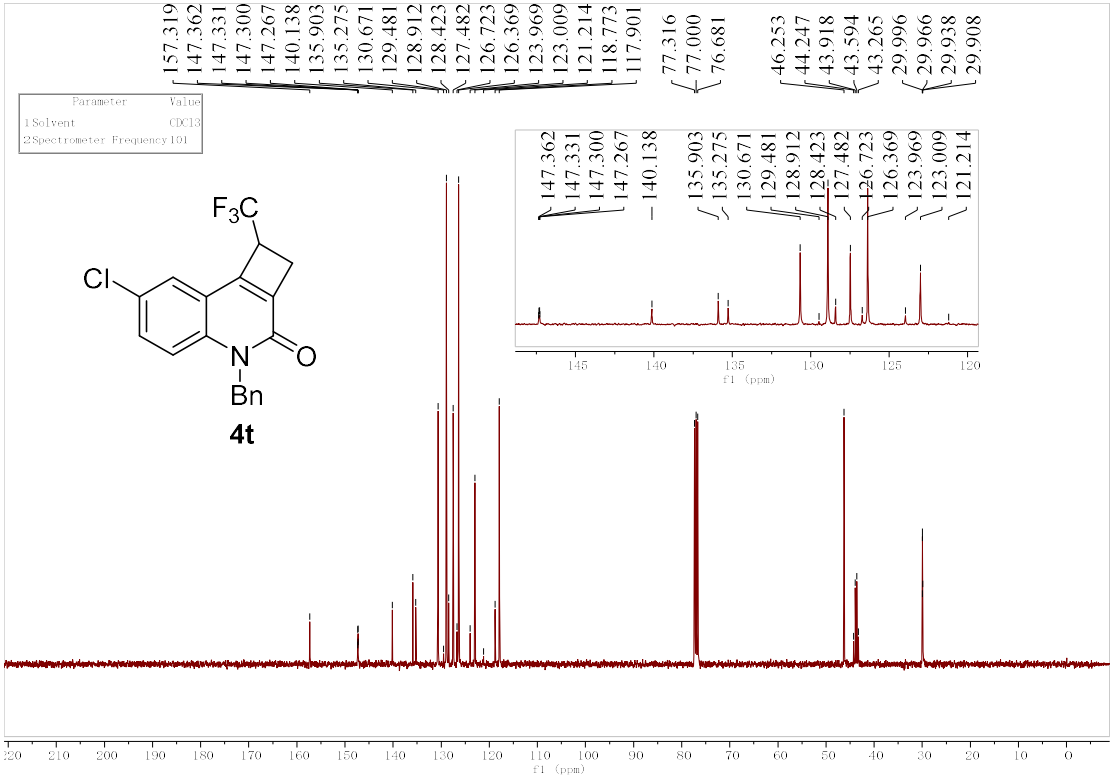
1.00
1.02
f1 (ppm)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135.903
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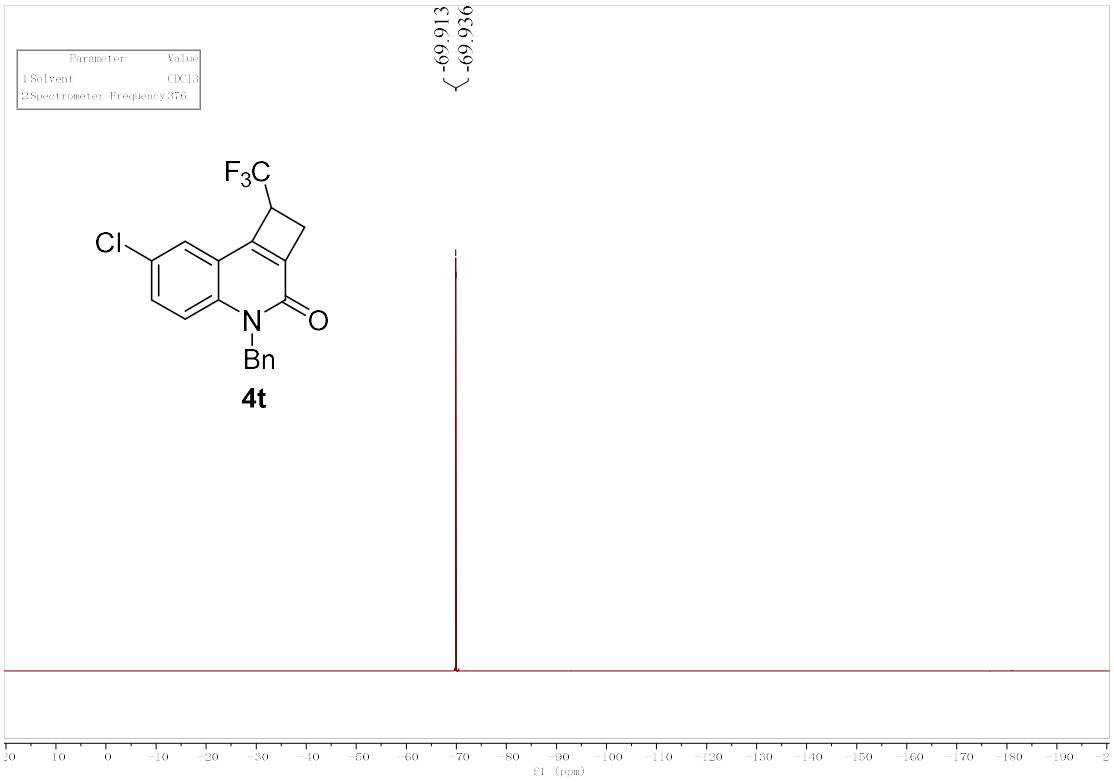
129.481
128.912
128.423
127.482
126.723
126.369
123.969
123.009
121.214
118.773
117.901
77.316
77.000
76.681
46.253
44.247
43.918
43.594
43.265
29.996
29.966
29.938
29.908
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F3C
Cl
N
O
Bn
4t
f1 (ppm)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2 Spectrometer Frequency 376
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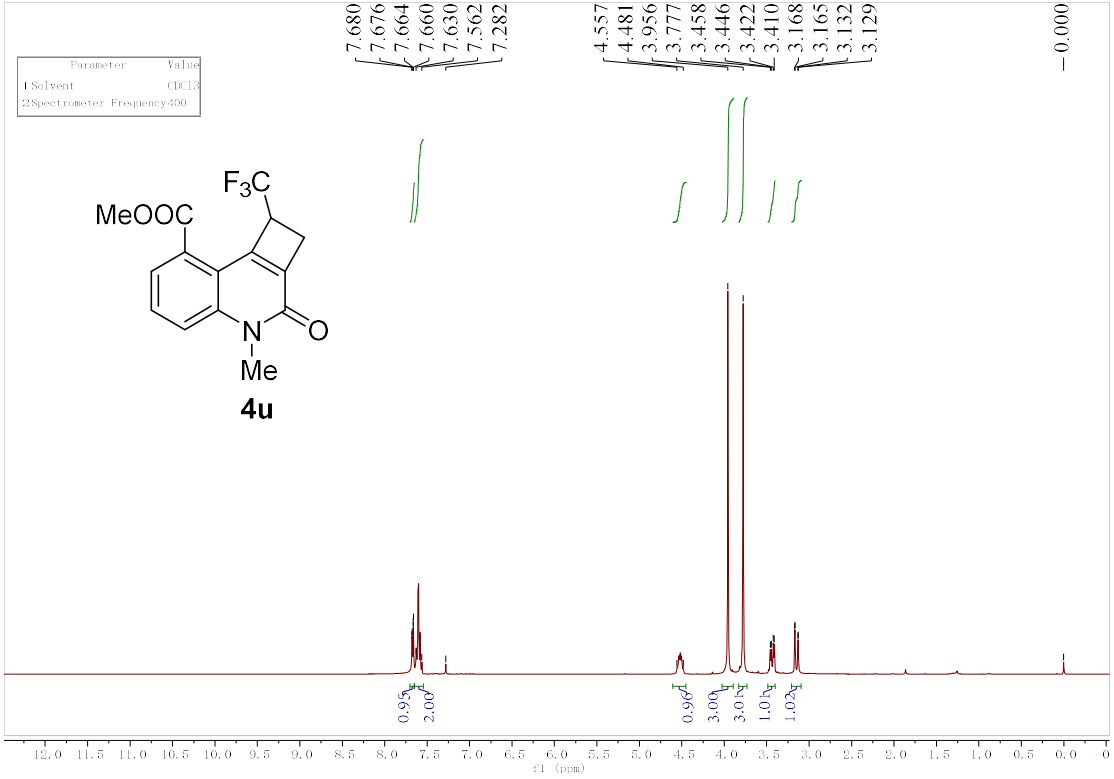
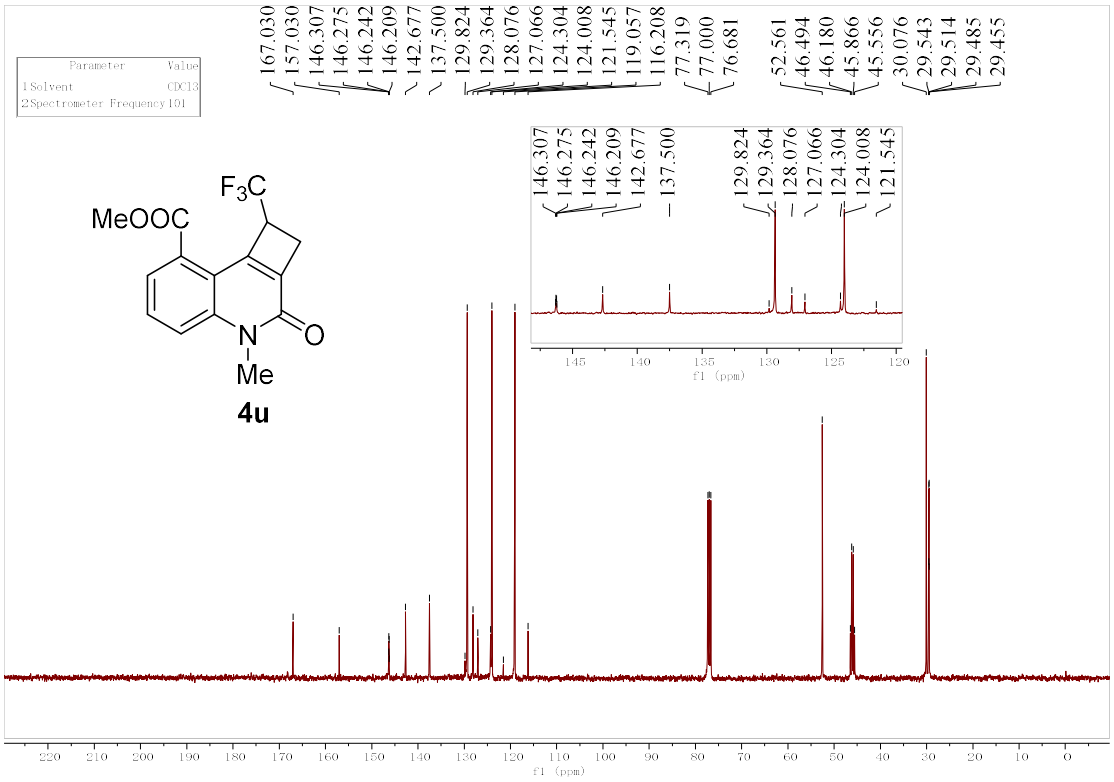
-69.936
F3C
Cl
N
O
Bn
4t
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
7.680
7.676
7.664
7.660
7.630
7.562
7.282
4.557
4.481
3.956
3.777
3.458
3.446
3.422
3.410
3.168
3.165
3.132
3.129
0.000
F3C
MeOOC
N
O
Me
4u
0.95
2.00
0.90
3.00
3.03
1.01
1.02
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
167.030
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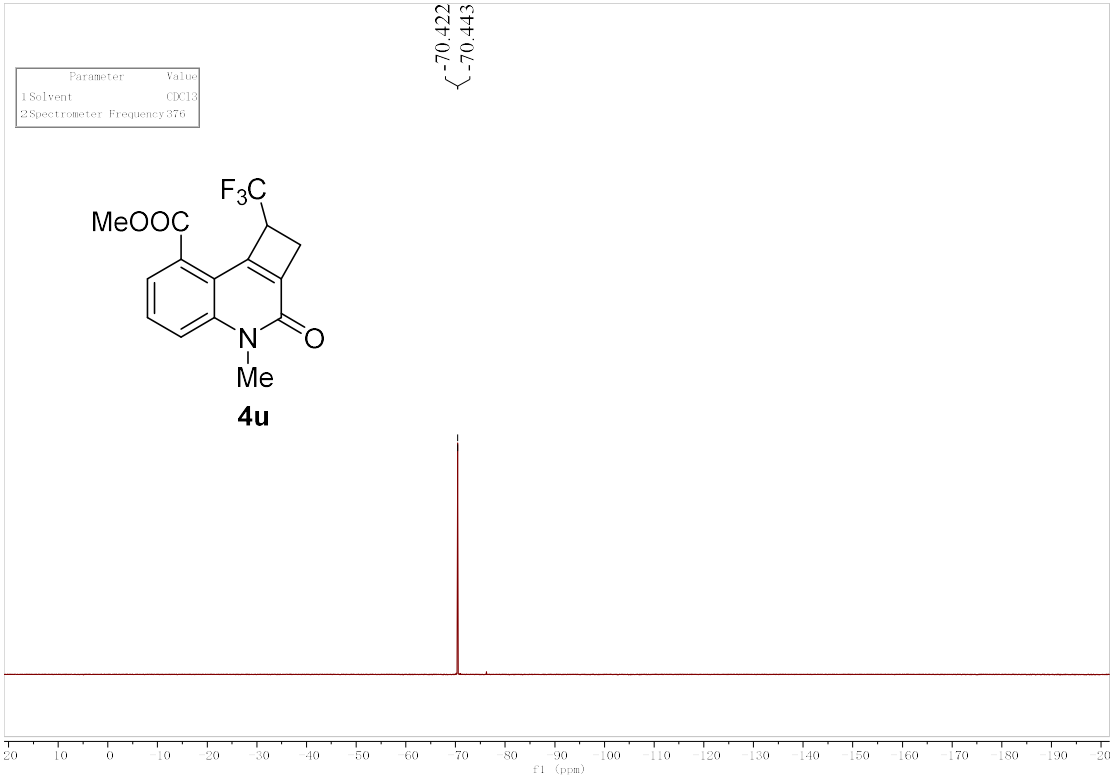
146.307
146.275
146.242
146.209
142.677
137.500
129.824
129.364
128.076
127.066
124.304
124.008
121.545
119.057
116.208
77.319
77.000
76.681
52.561
46.494
46.180
45.866
45.556
30.076
29.543
29.514
29.485
29.455
F3C
MeOOC
N
O
Me
4u
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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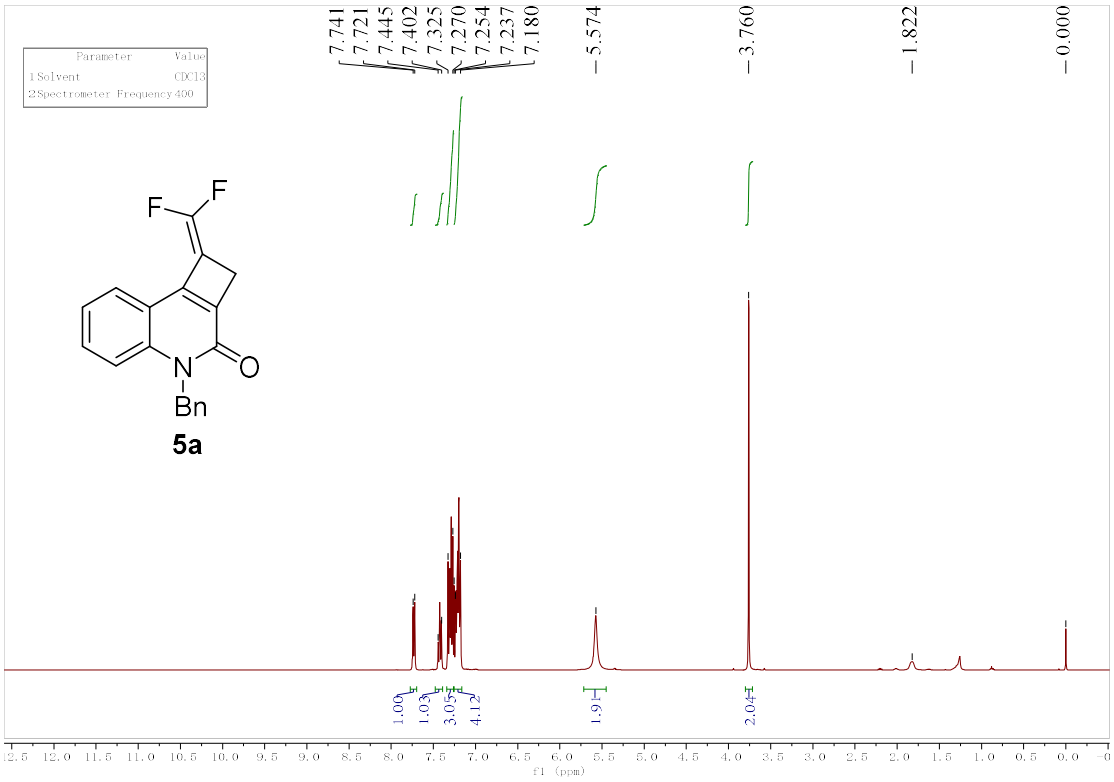
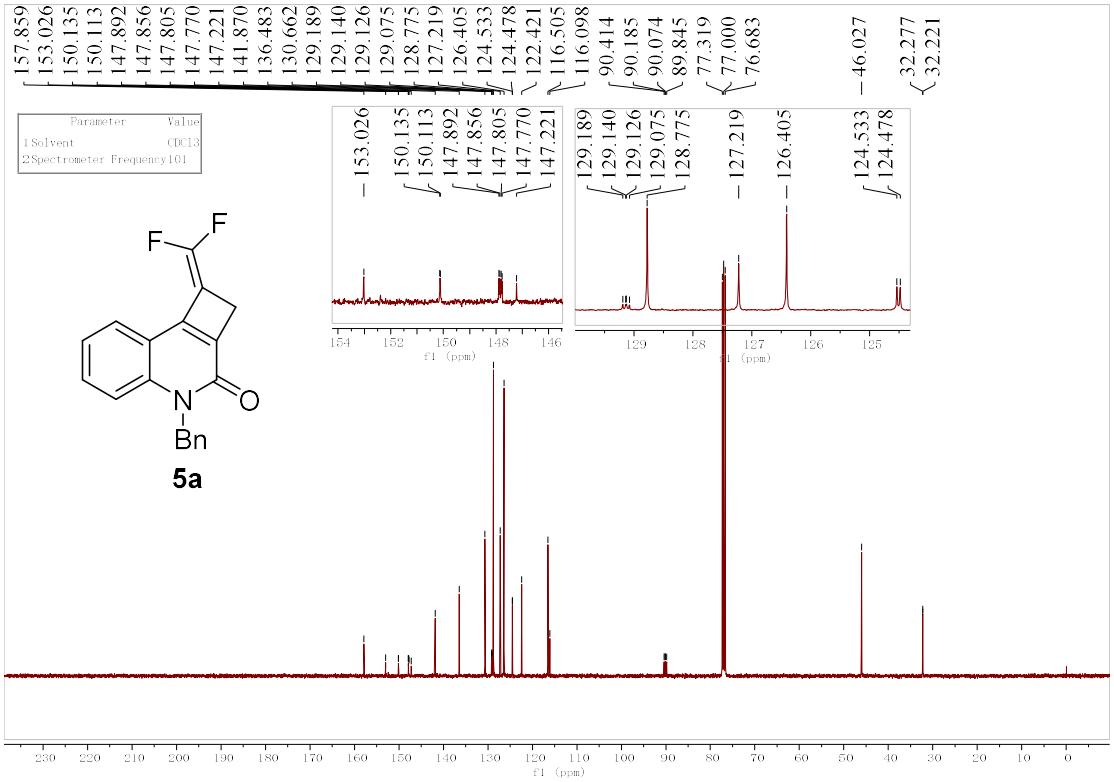
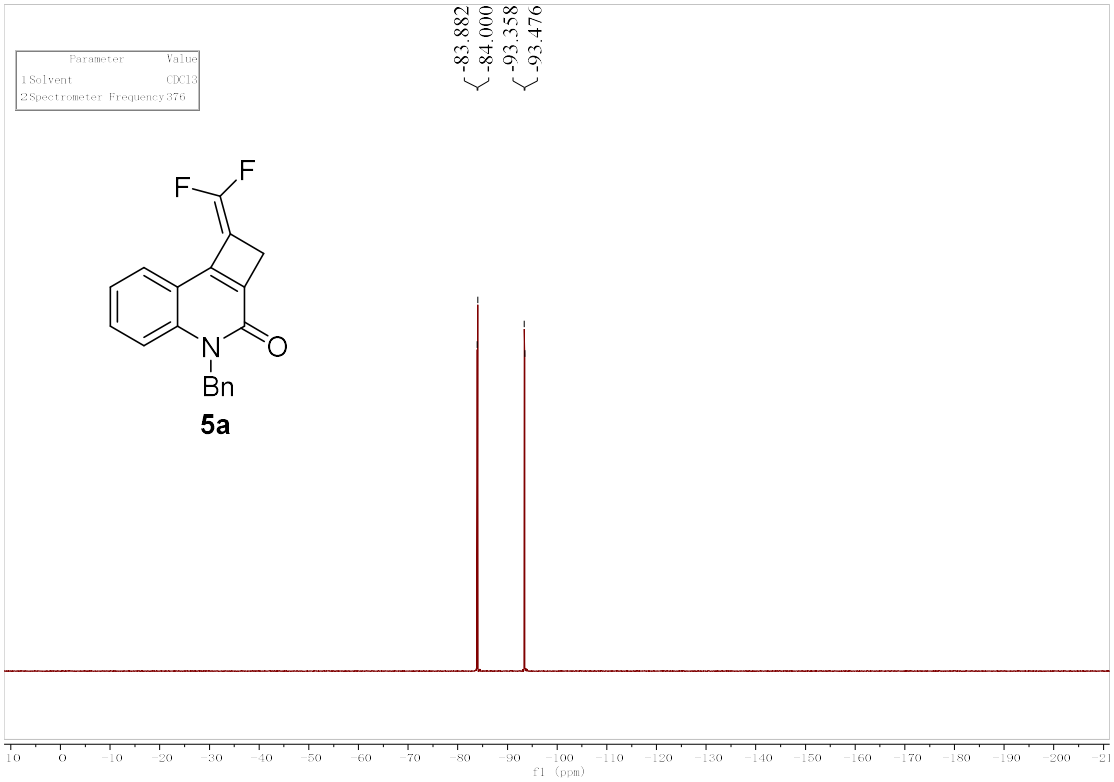
-70.443
F3C
MeOOC
N
O
Me
4u
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
7.741
7.721
7.445
7.402
7.325
7.270
7.254
7.237
7.180
5.574
3.760
1.822
0.000
F
F
N
O
Bn
5a
1.00
1.03
3.05
4.12
1.91
2.04
f1 (ppm)


157.859
153.026
150.135
150.113
147.892
147.856
147.805
147.770
147.221
141.870
136.483
130.662
129.189
129.140
129.126
129.075
128.775
127.219
126.405
124.533
124.478
122.421
116.505
116.098
90.414
90.185
90.074
89.845
77.319
77.000
76.683
46.027
32.277
32.221
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
Bn
5a
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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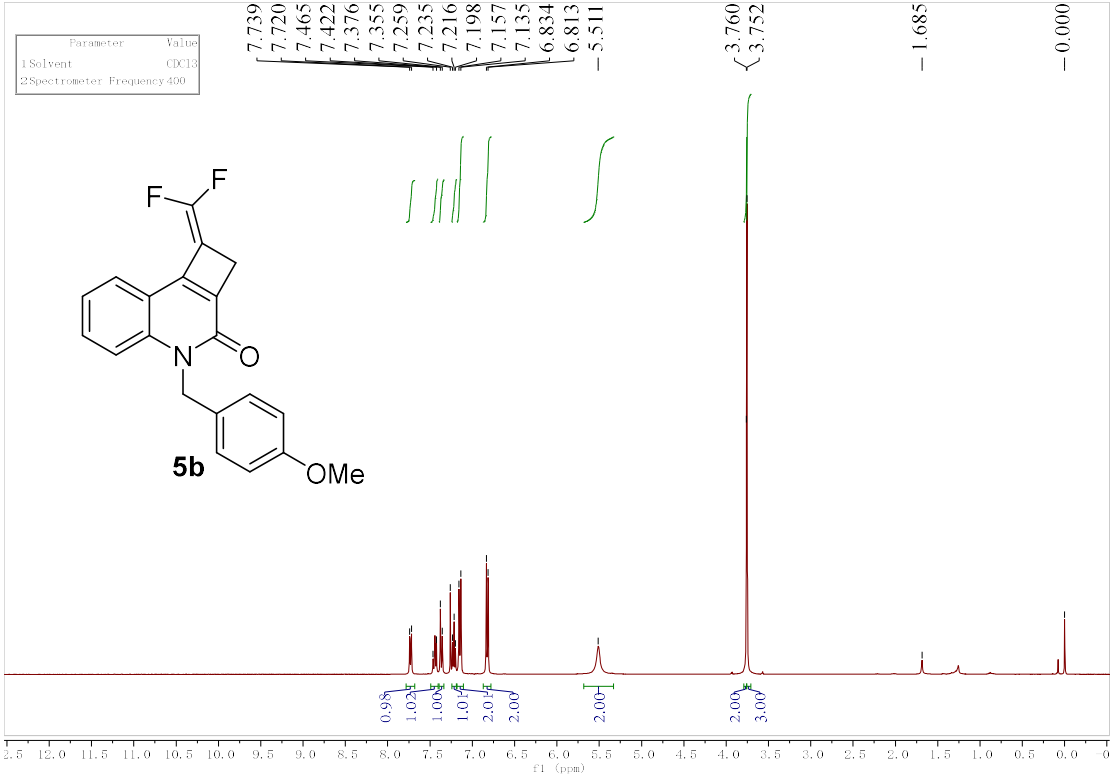
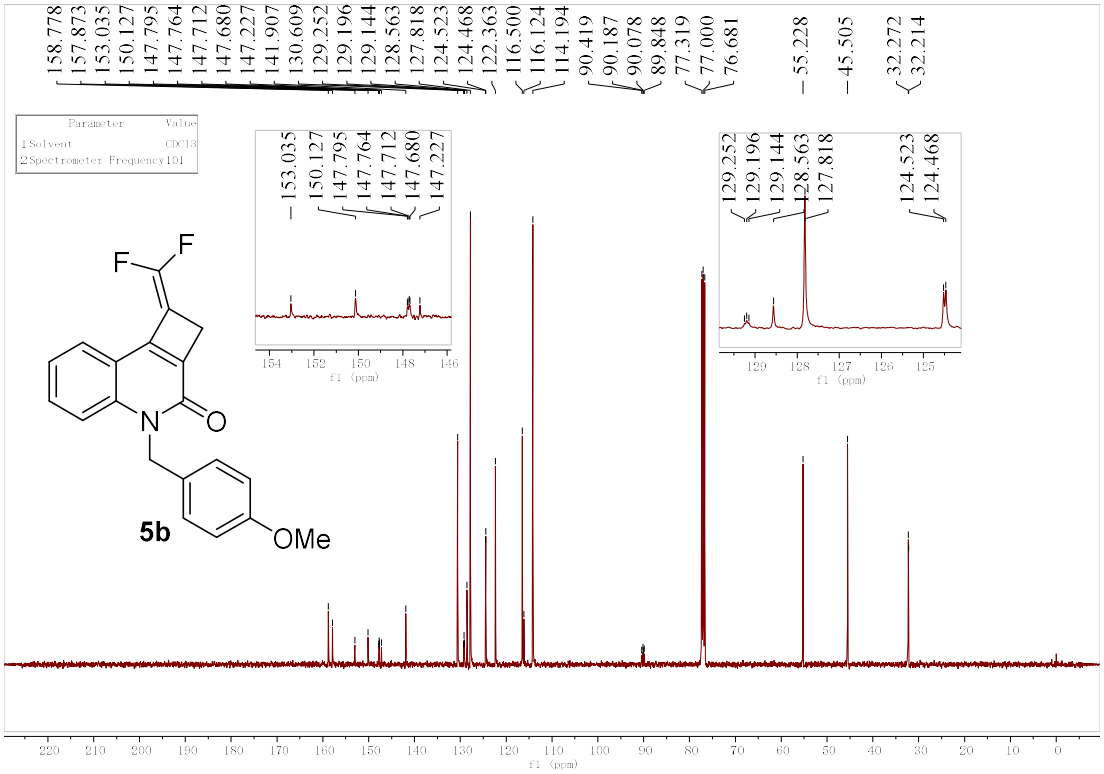
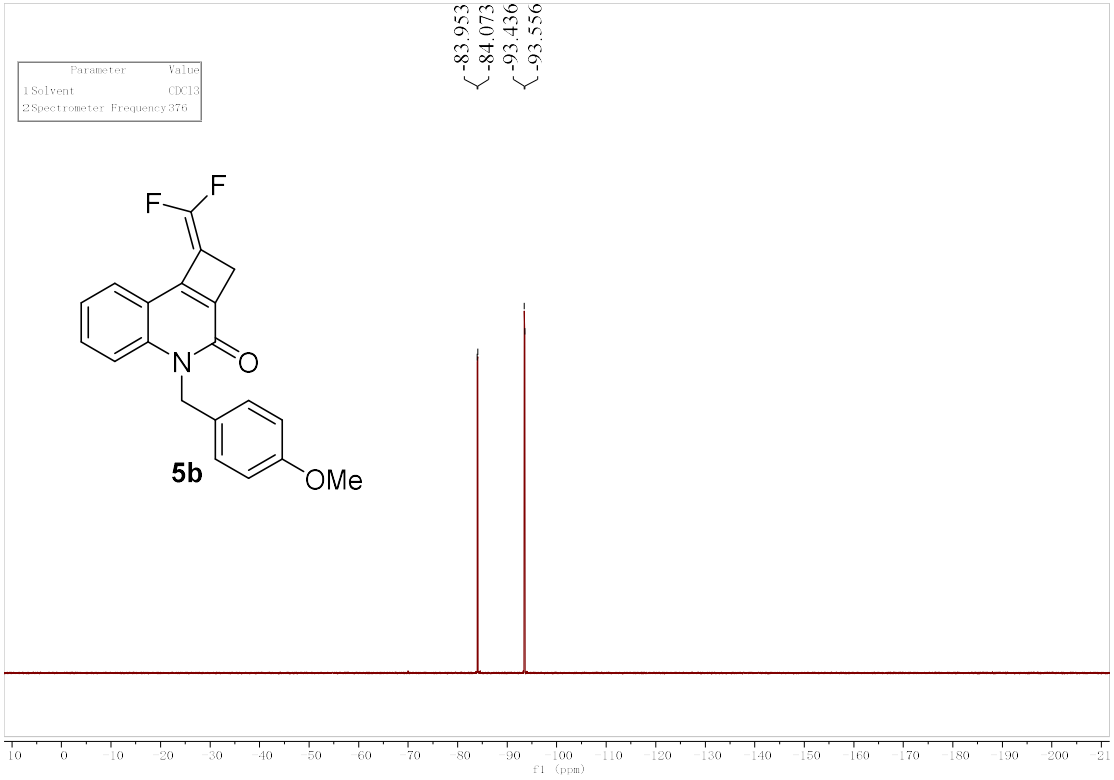
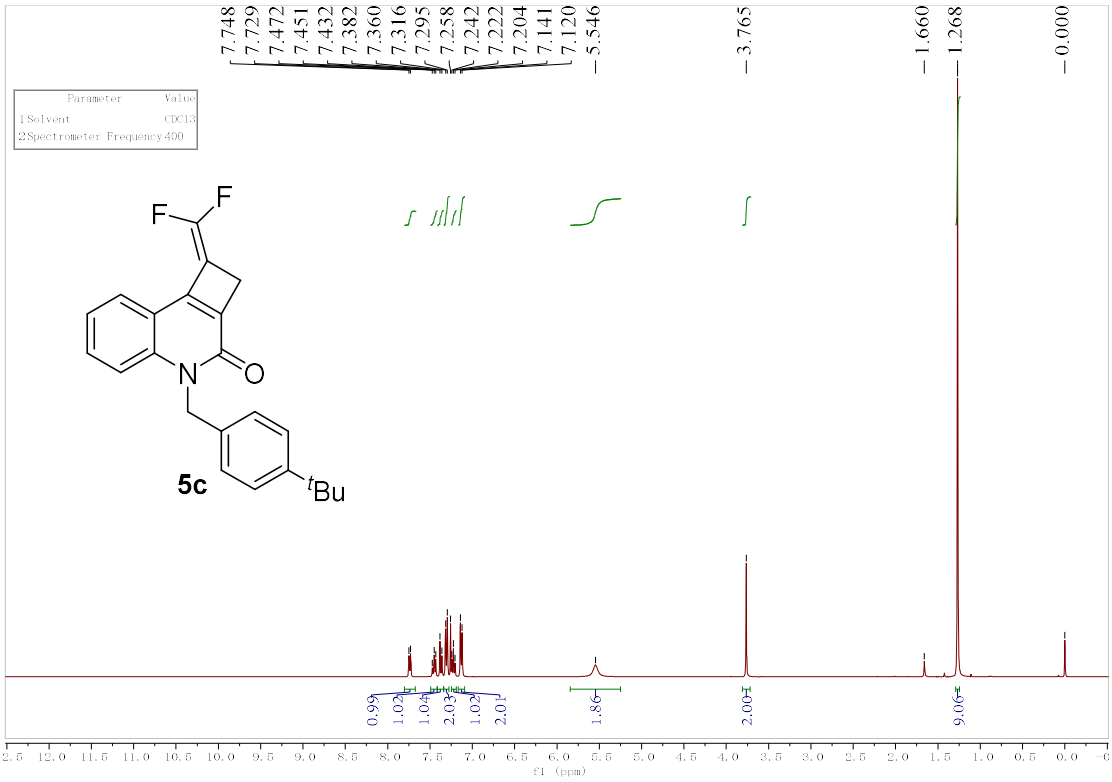
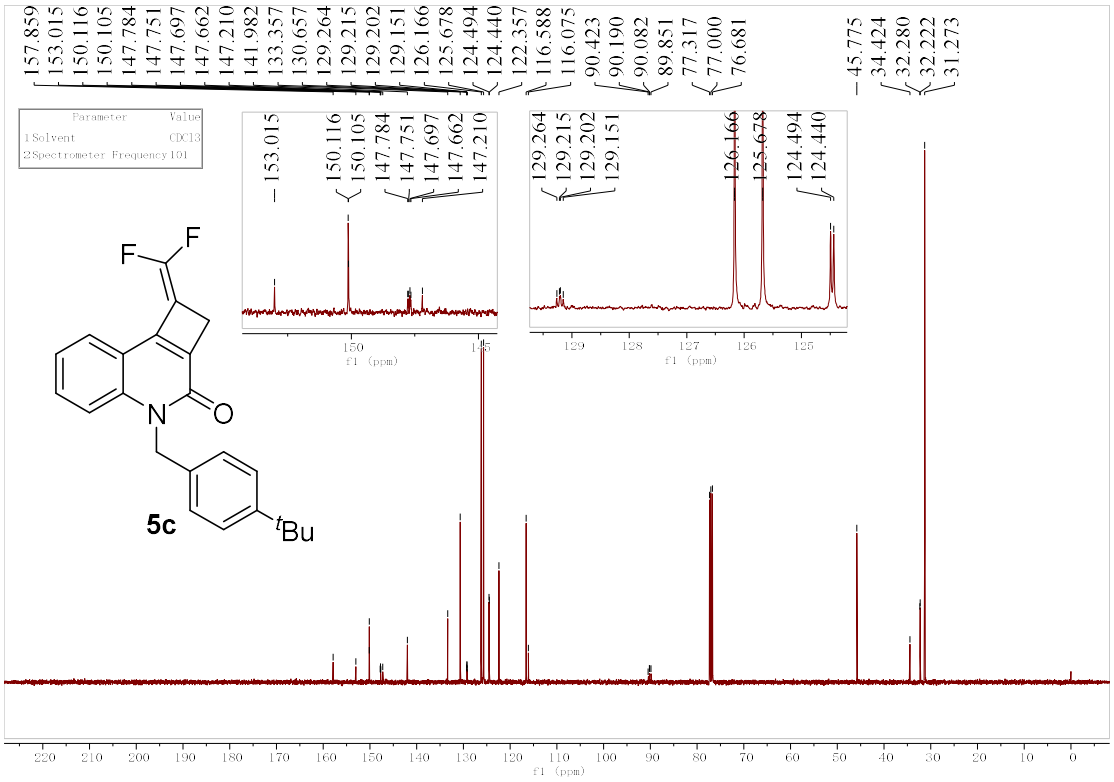
-84.000
-93.358
-93.476
F
F
N
O
Bn
5a
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
7.739
7.720
7.465
7.422
7.376
7.355
7.259
7.235
7.216
7.198
7.157
7.135
6.834
6.813
5.511
3.760
3.752
1.685
0.000
F
F
N
O
5b
OMe
0.98
1.02
1.00
1.01
2.01
2.00
2.00
2.00
3.00
f1 (ppm)


158.778
157.873
153.035
150.127
147.795
147.764
147.712
147.680
147.227
141.907
130.609
129.252
129.196
129.144
128.563
127.818
124.523
124.468
122.363
116.500
116.124
114.194
90.419
90.187
90.078
89.848
77.319
77.000
76.681
55.228
45.505
32.272
32.214
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
OMe
5b
f1 (ppm)


-83.953
-84.073
-93.436
-93.556
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
OMe
5b
f1 (ppm)


7.748
7.729
7.472
7.451
7.432
7.382
7.360
7.316
7.295
7.258
7.242
7.222
7.204
7.141
7.120
5.546
3.765
1.660
1.268
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
N
O
5c
tBu
0.99
1.03
1.04
2.03
1.02
2.01
1.86
2.00
9.06
f1 (ppm)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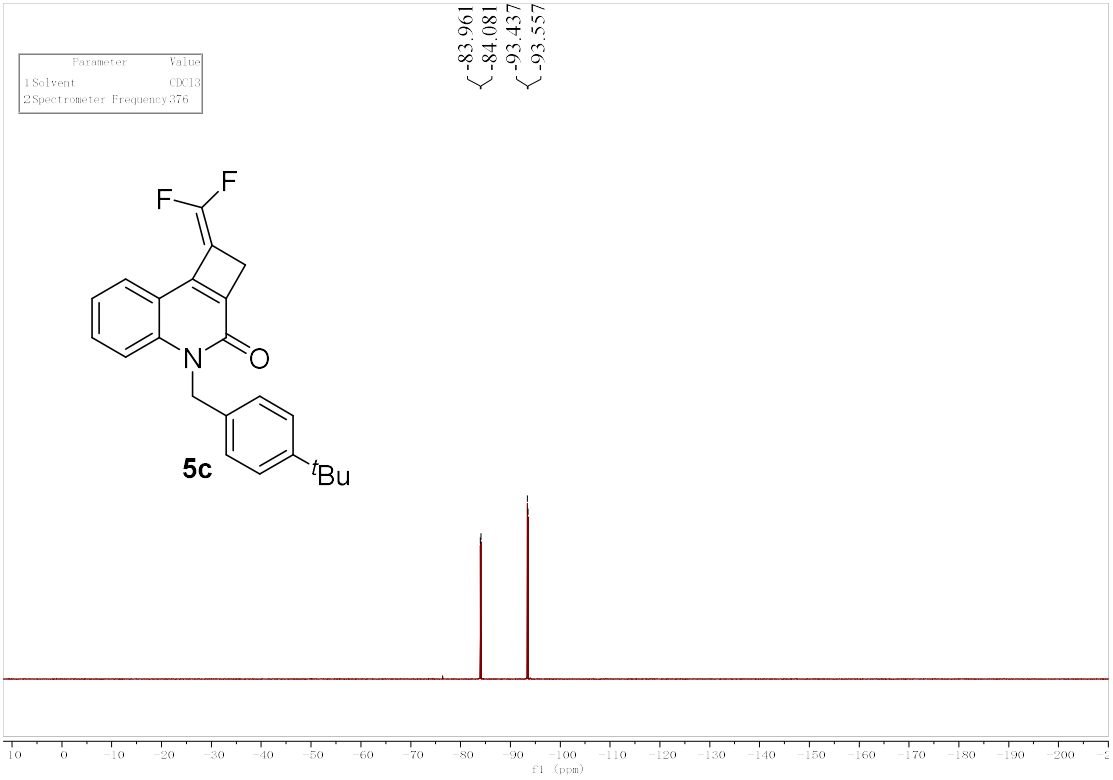
153.015
150.116
150.105
147.784
147.751
147.697
147.662
147.210
141.982
133.357
130.657
129.264
129.215
129.202
129.151
126.166
125.678
124.494
124.440
122.357
116.588
116.075
90.423
90.190
90.082
89.851
77.317
77.000
76.681
45.775
34.424
32.280
32.222
31.273
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
5c
tBu
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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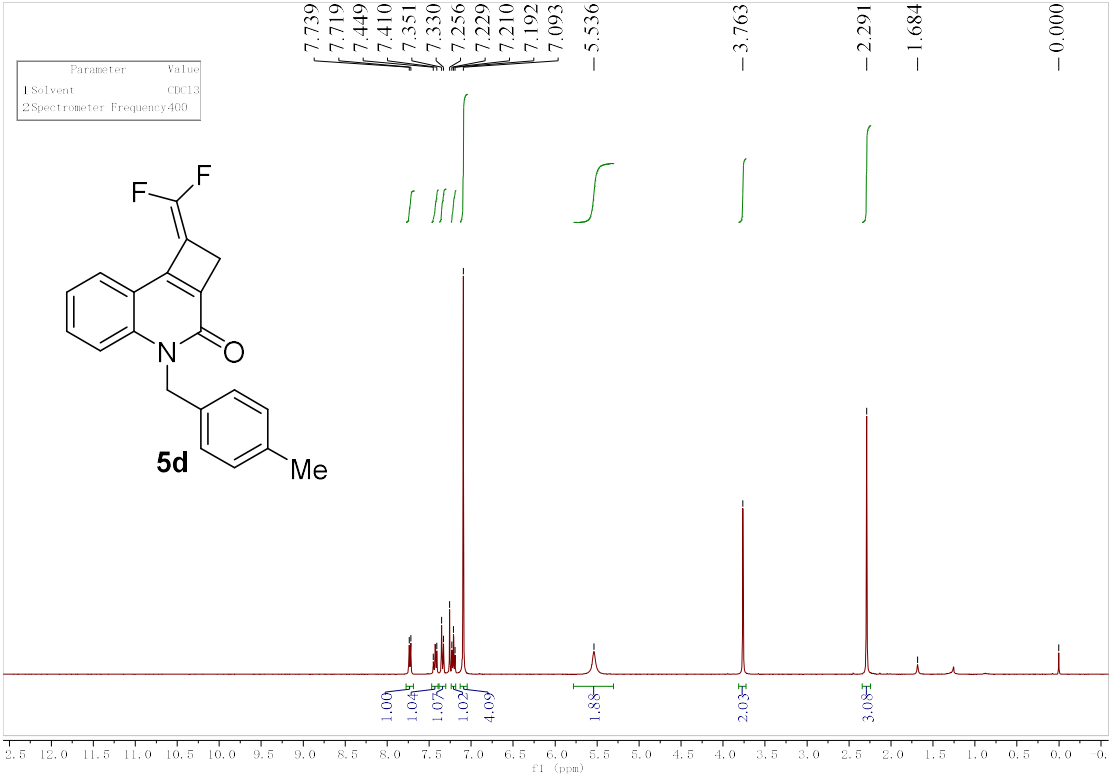
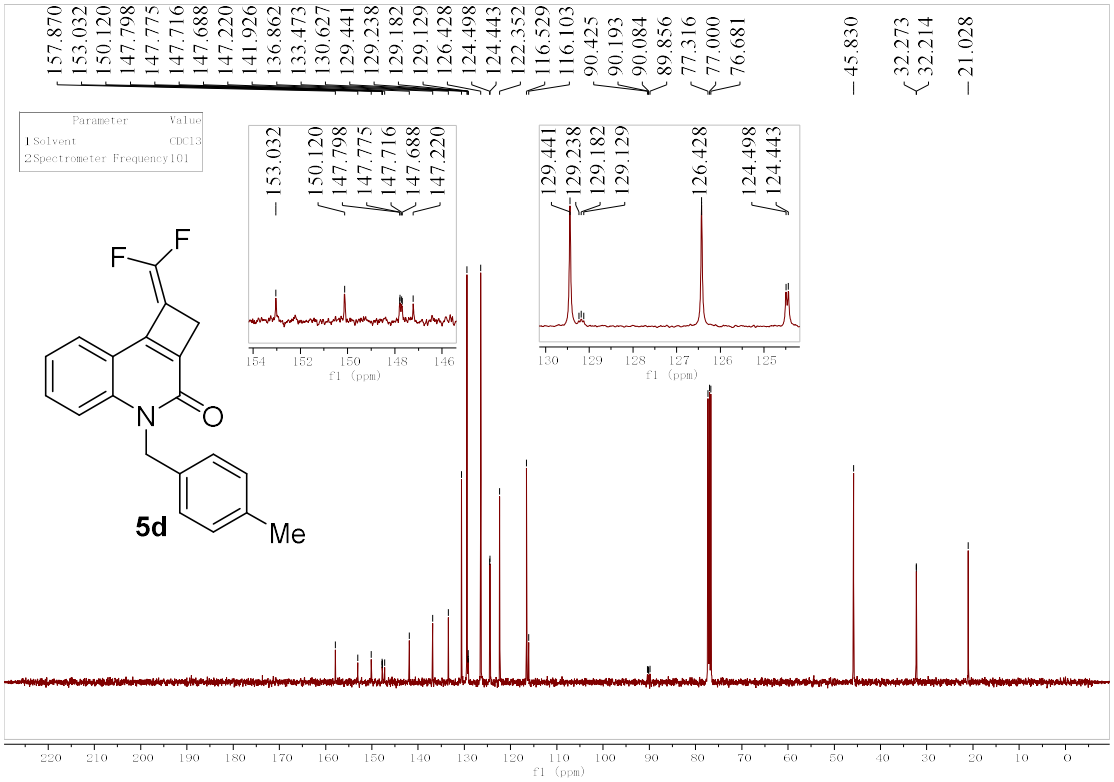
-93.437
-93.557
F
F
N
O
5c
tBu
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
7.739
7.719
7.449
7.410
7.351
7.330
7.256
7.229
7.210
7.192
7.093
5.536
3.763
2.291
1.684
0.000
F
F
N
O
5d
Me
1.00
1.04
1.07
1.02
4.09
1.88
2.03
3.08
f1 (ppm)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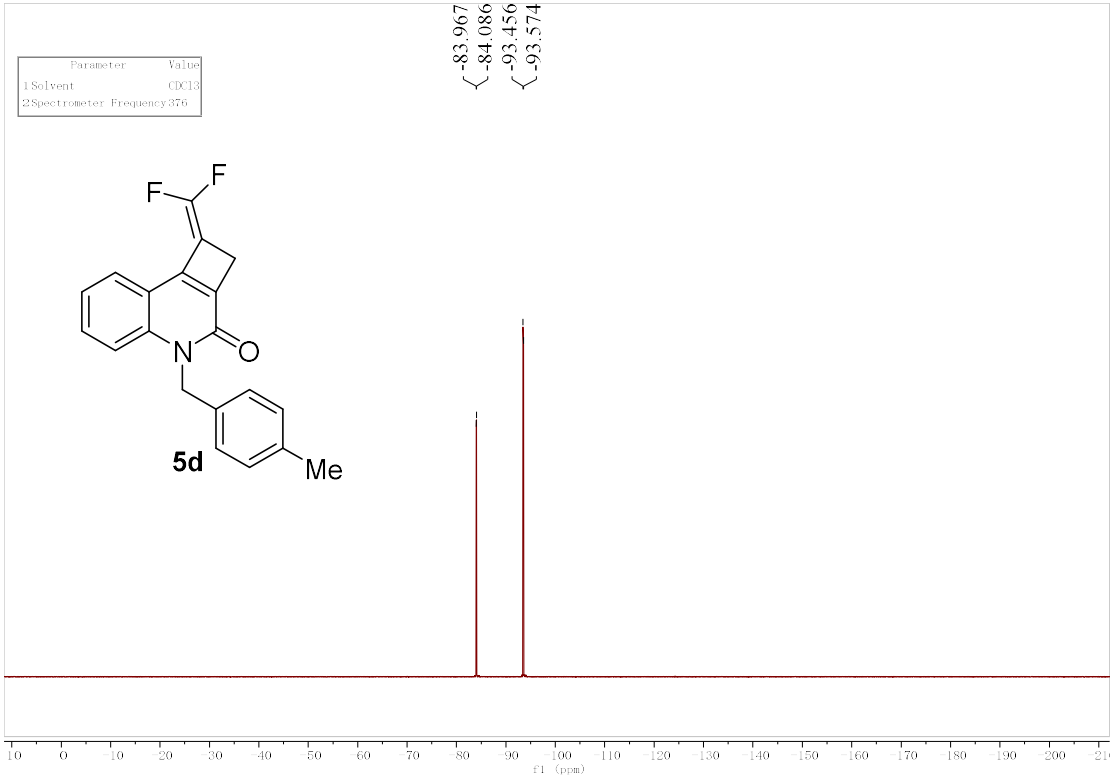
150.120
147.798
147.775
147.716
147.688
147.220
141.926
136.862
133.473
130.627
129.441
129.238
129.182
129.129
126.428
124.498
124.443
122.352
116.529
116.103
90.425
90.193
90.084
89.856
77.316
77.000
76.681
45.830
32.273
32.214
21.028
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
5d
Me
f1 (ppm)


-83.967
-84.086
-93.456
-93.574
Parameter Value
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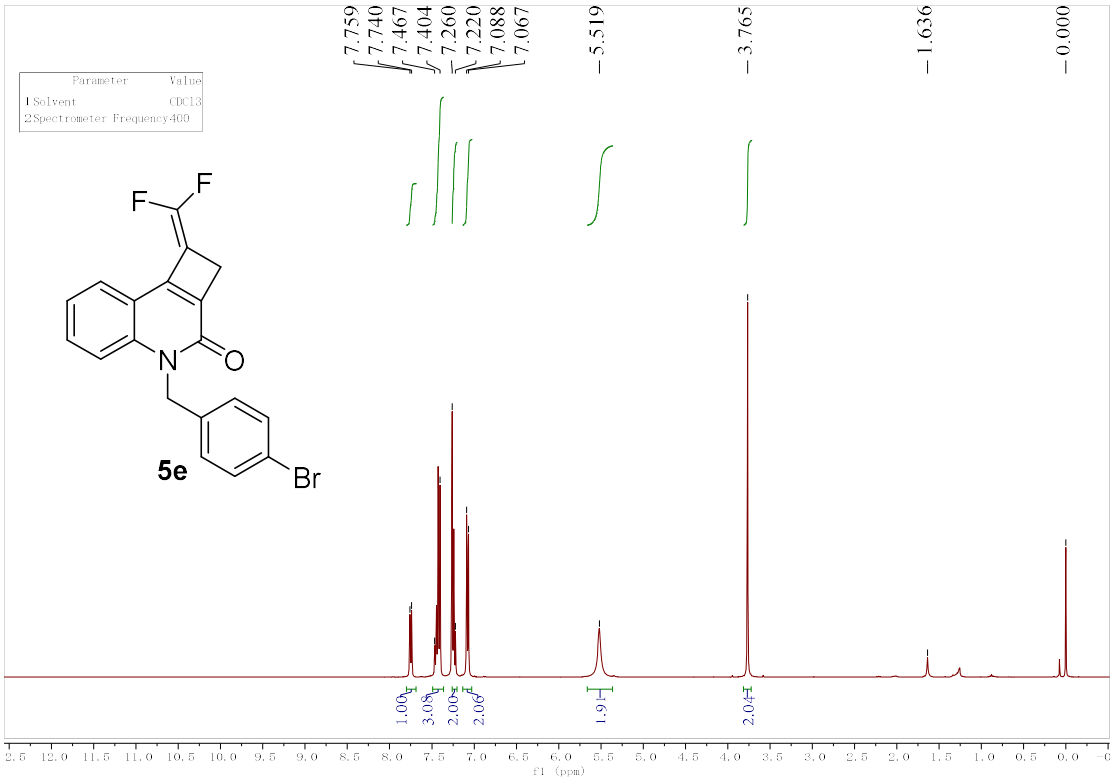
2 Spectrometer Frequency 376
F
F
N
O
5d
Me
f1 (ppm)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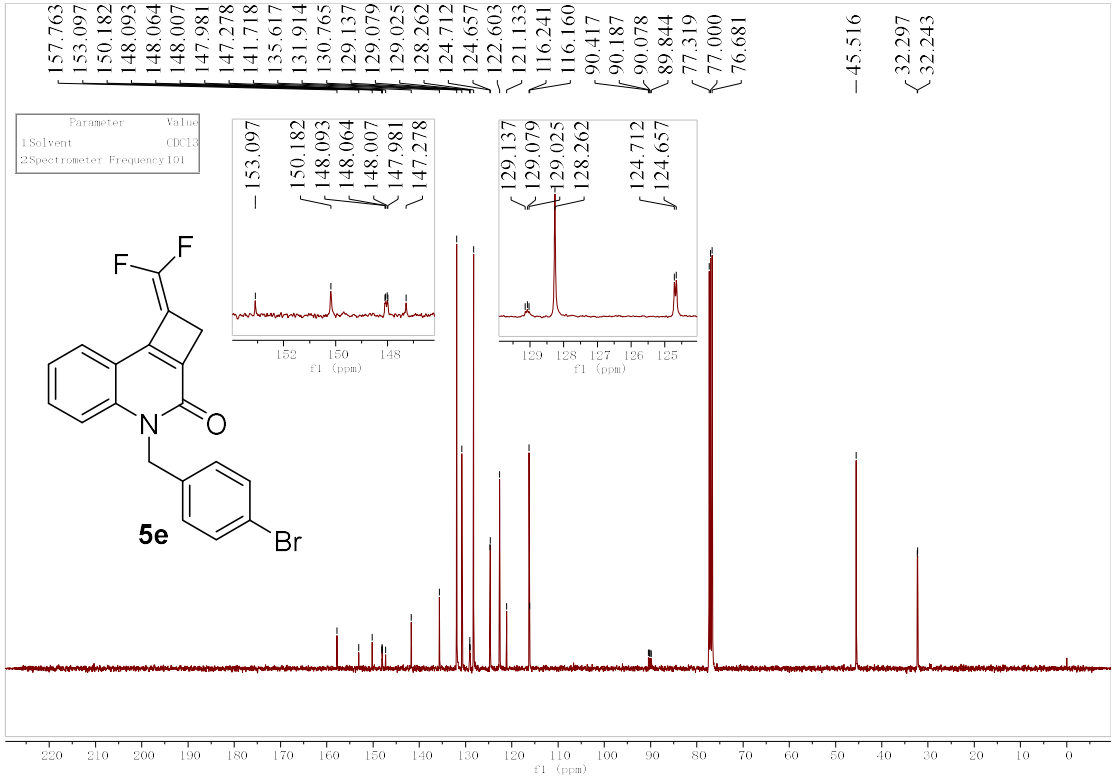
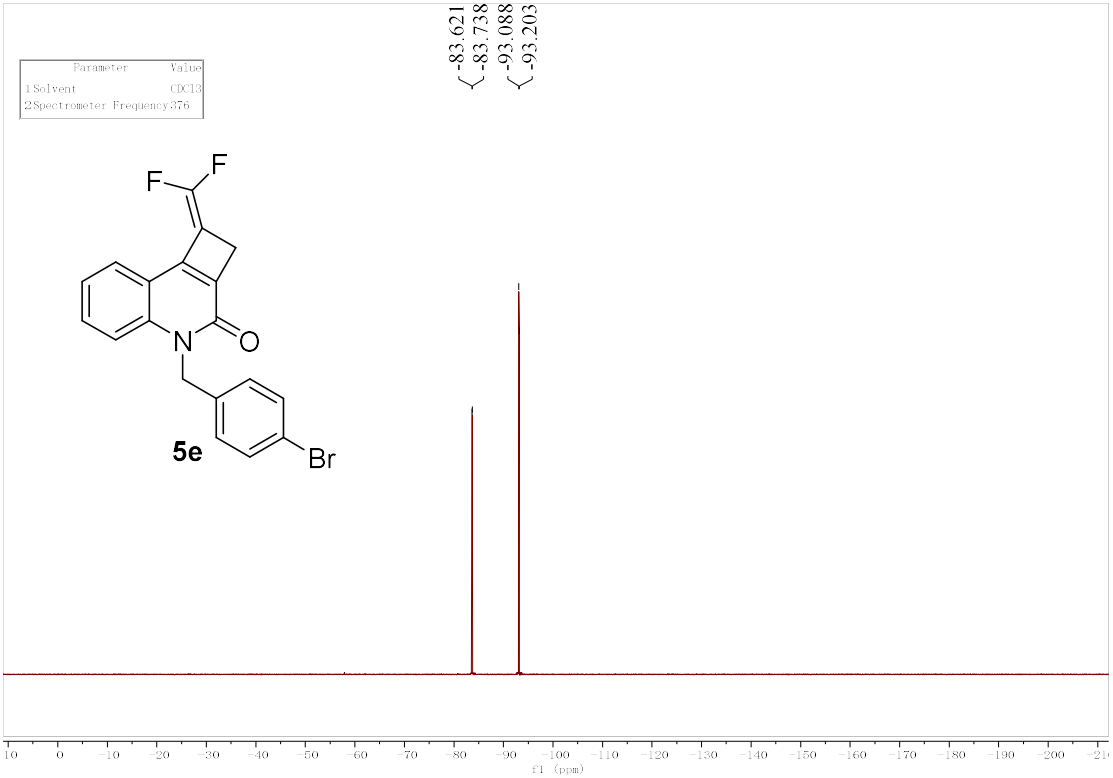
7.740
7.467
7.404
7.260
7.220
7.088
7.067
5.519
3.765
1.636
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
N
O
Br
5e
1.00
3.08
2.00
2.06
1.91
2.04
f1 (ppm)


157.763
153.097
150.182
148.093
148.064
148.007
147.981
147.278
141.718
135.617
131.914
130.765
129.137
129.079
129.025
128.262
124.712
124.657
122.603
121.133
116.241
116.160
90.417
90.187
90.078
89.844
77.319
77.000
76.681
45.516
32.297
32.243
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
153.097
150.182
148.093
148.064
148.007
147.981
147.278
129.137
129.079
129.025
128.262
124.712
124.657
F
F
N
O
Br
5e
f1 (ppm)


-83.621
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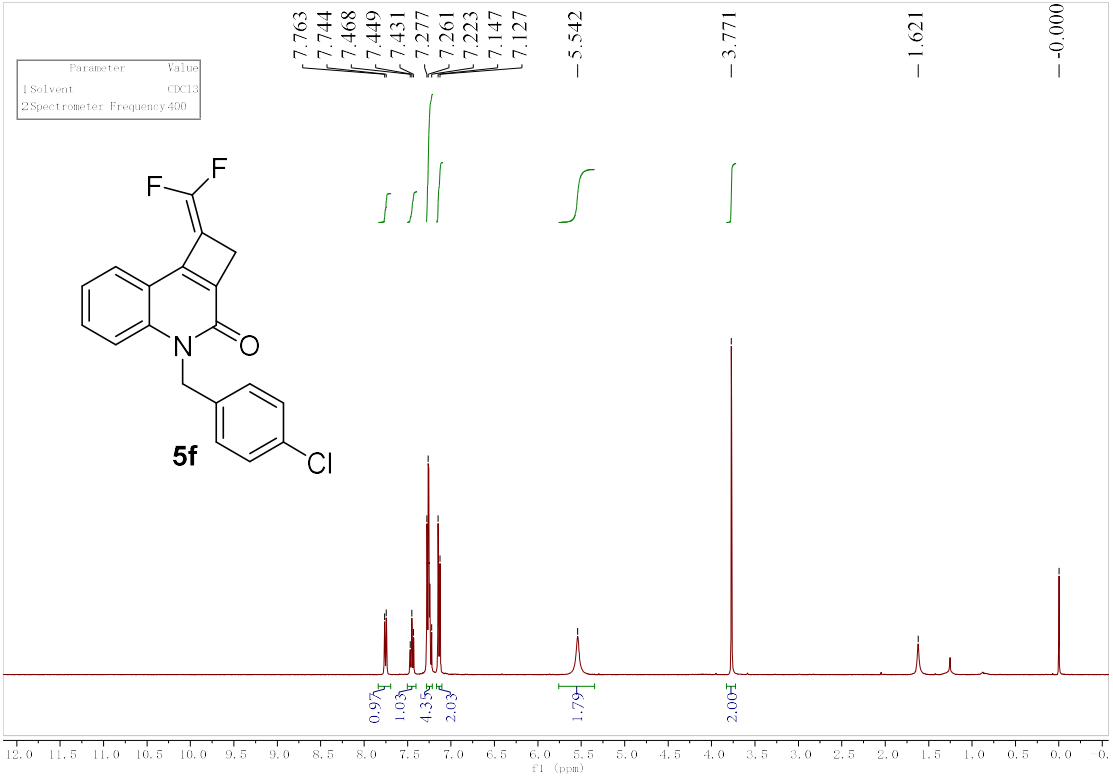
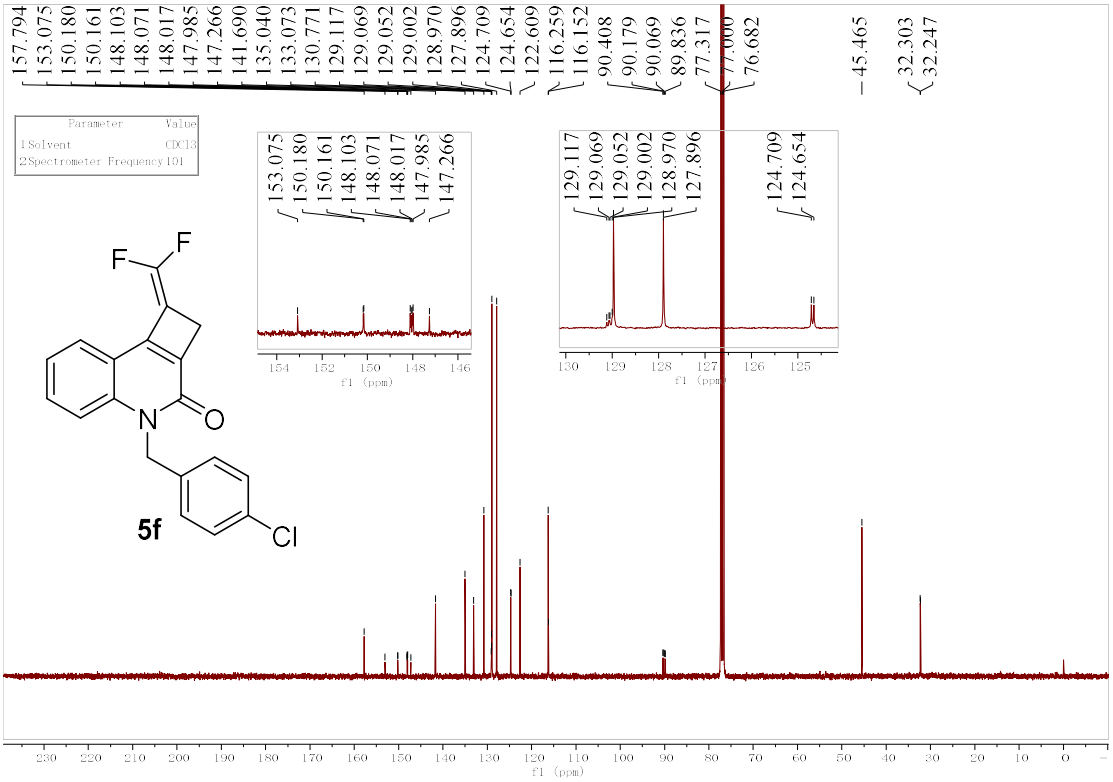
-93.203
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
Br
5e
f1 (ppm)


7.763
7.744
7.468
7.449
7.431
7.277
7.261
7.223
7.147
7.127
5.542
3.771
1.621
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
N
O
Cl
5f
0.97
1.03
4.35
2.03
1.79
2.00
f1 (ppm)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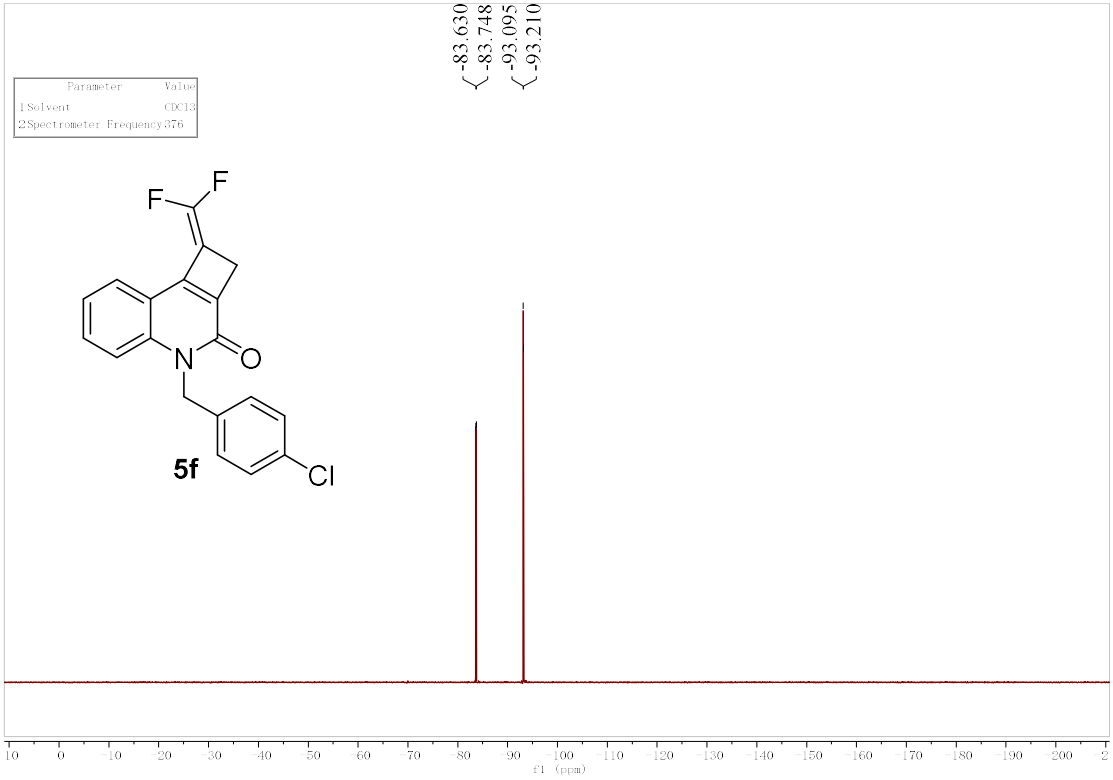
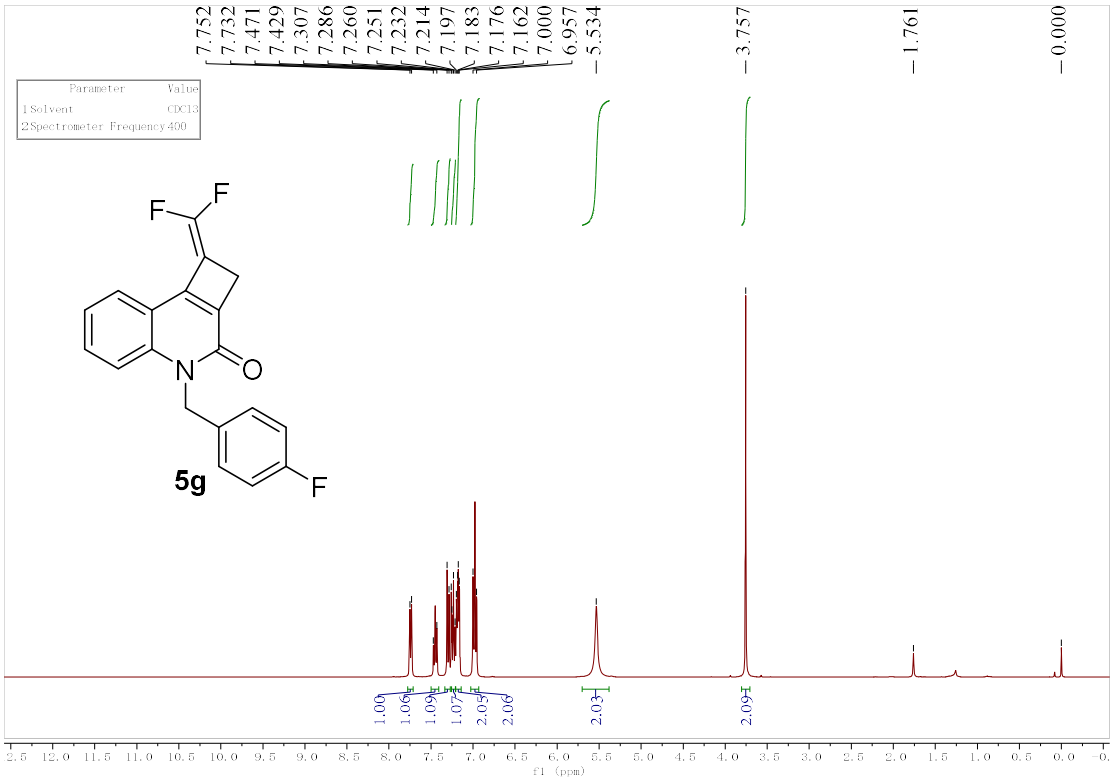
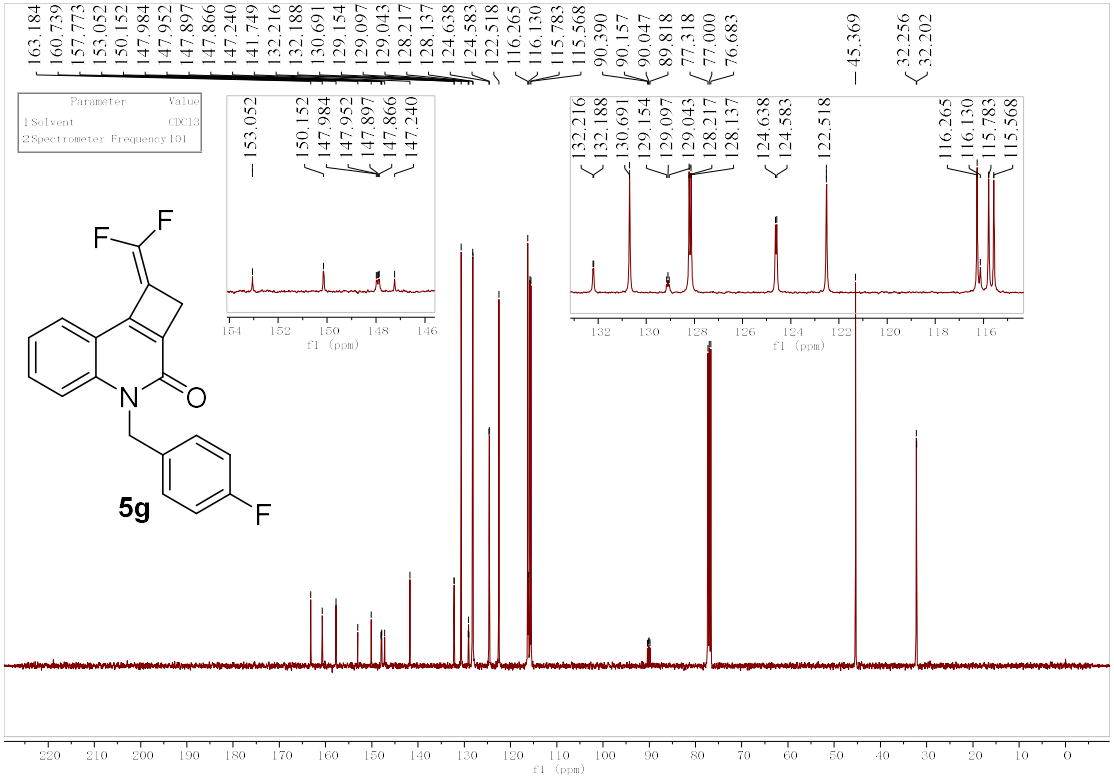
135.040
133.073
130.771
129.117
129.069
129.052
129.002
128.970
127.896
124.709
124.654
122.609
116.259
116.152
90.408
90.179
90.069
89.836
77.317
77.000
76.682
45.465
32.303
32.247
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
Cl
5f
f1 (ppm)


-83.630
-83.748
-93.095
-93.210
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
Cl
5f
f1 (ppm)


7.752
7.732
7.471
7.429
7.307
7.286
7.260
7.251
7.232
7.214
7.197
7.183
7.176
7.162
7.000
6.957
5.534
3.757
1.761
0.000
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 400
F
F
N
O
F
5g
1.00
1.06
1.09
1.07
2.03
2.06
2.03
2.09
f1 (ppm)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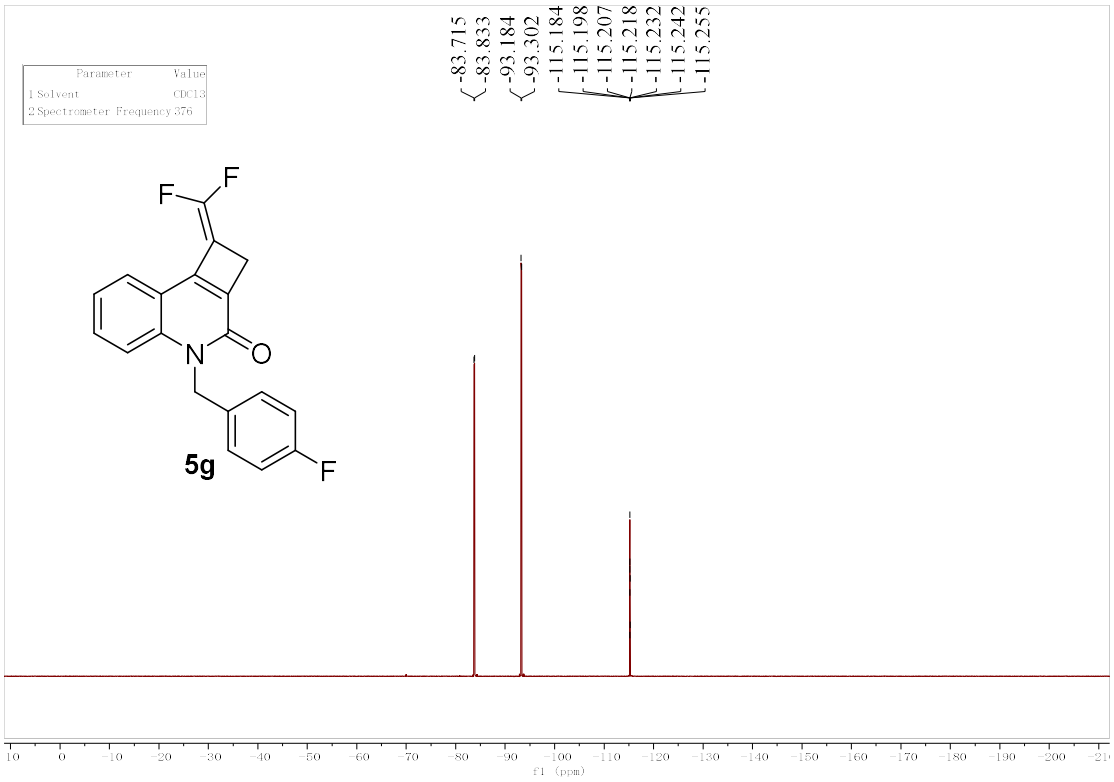
160.739
157.773
153.052
150.152
147.984
147.952
147.897
147.866
147.240
141.749
132.216
132.188
130.691
129.154
129.097
129.043
128.217
128.137
124.638
124.583
122.518
116.265
116.130
115.783
115.568
90.390
90.157
90.047
89.818
77.318
77.000
76.683
45.369
32.256
32.202
Parameter Value
1Solvent CDCl3
2Spectrometer Frequency 101
F
F
N
O
F
5g
f1 (ppm)
f1 (ppm)
f1 (ppm)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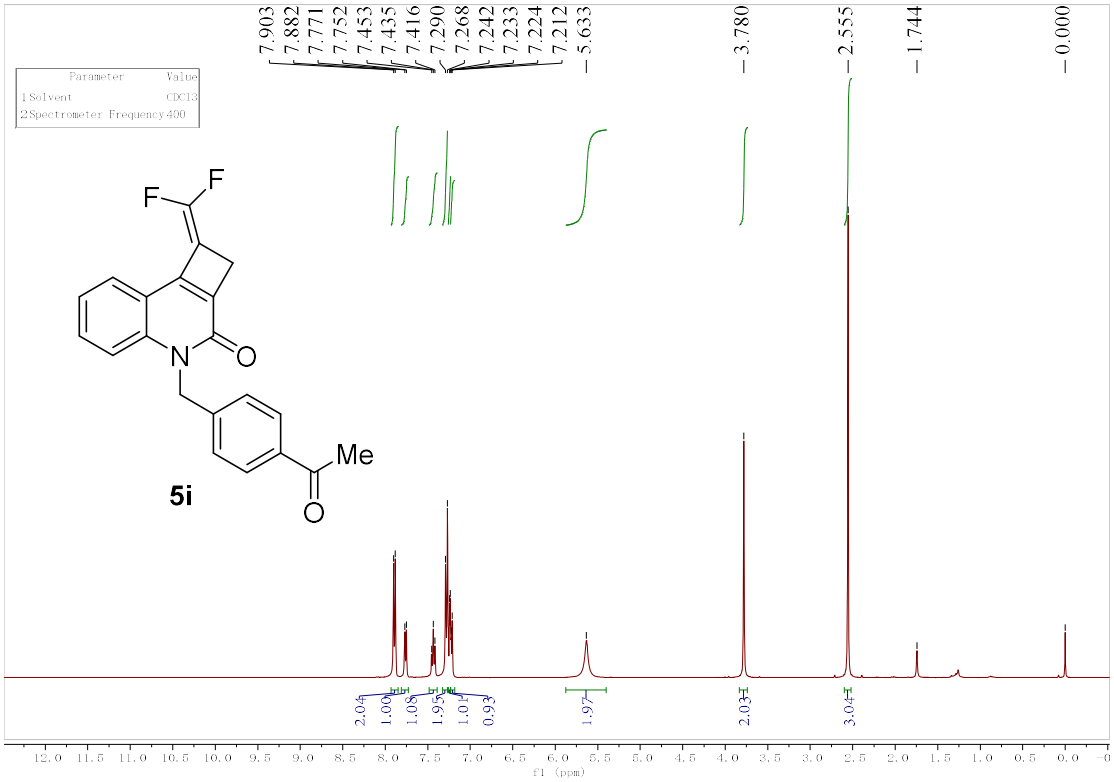
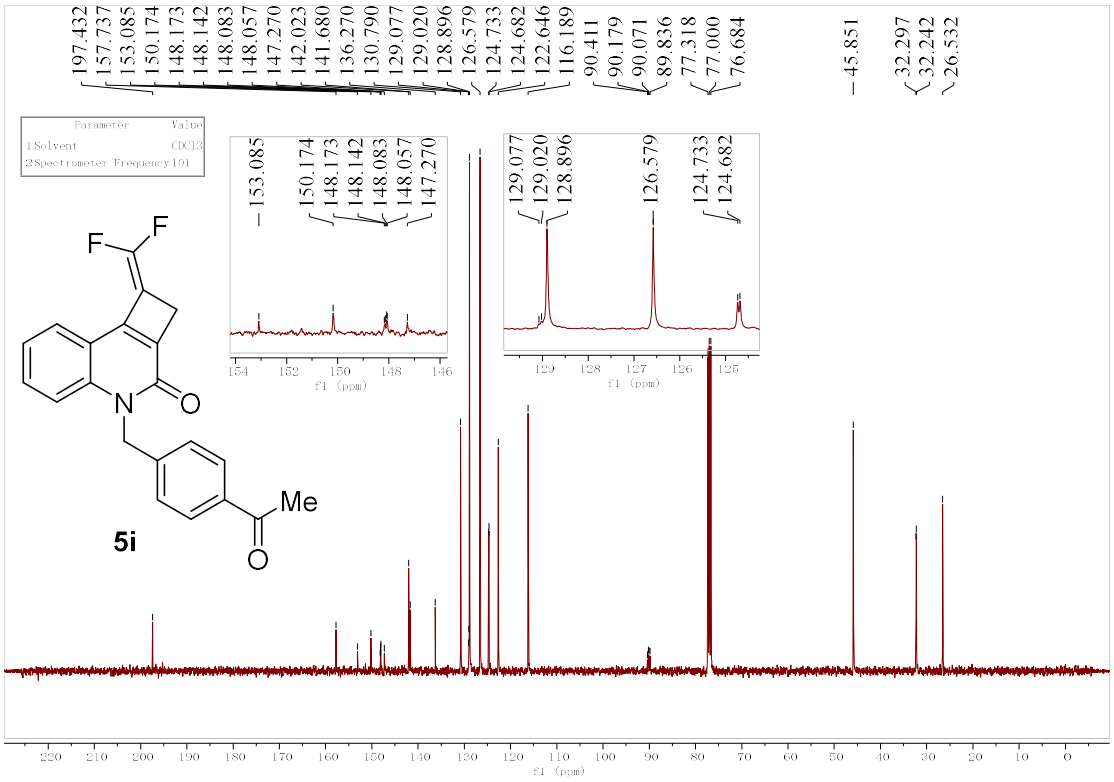
-83.833
-93.184
-93.302
-115.184
-115.198
-115.207
-115.218
-115.232
-115.242
-115.255
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
F
5g
f1 (ppm)


7.903
7.882
7.771
7.752
7.453
7.435
7.416
7.290
7.268
7.242
7.233
7.224
7.212
5.633
3.780
2.555
1.744
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
N
O
Me
O
5i
2.04
1.00
1.05
1.95
1.01
0.93
1.97
2.03
3.04
f1 (ppm)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157.737
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150.174
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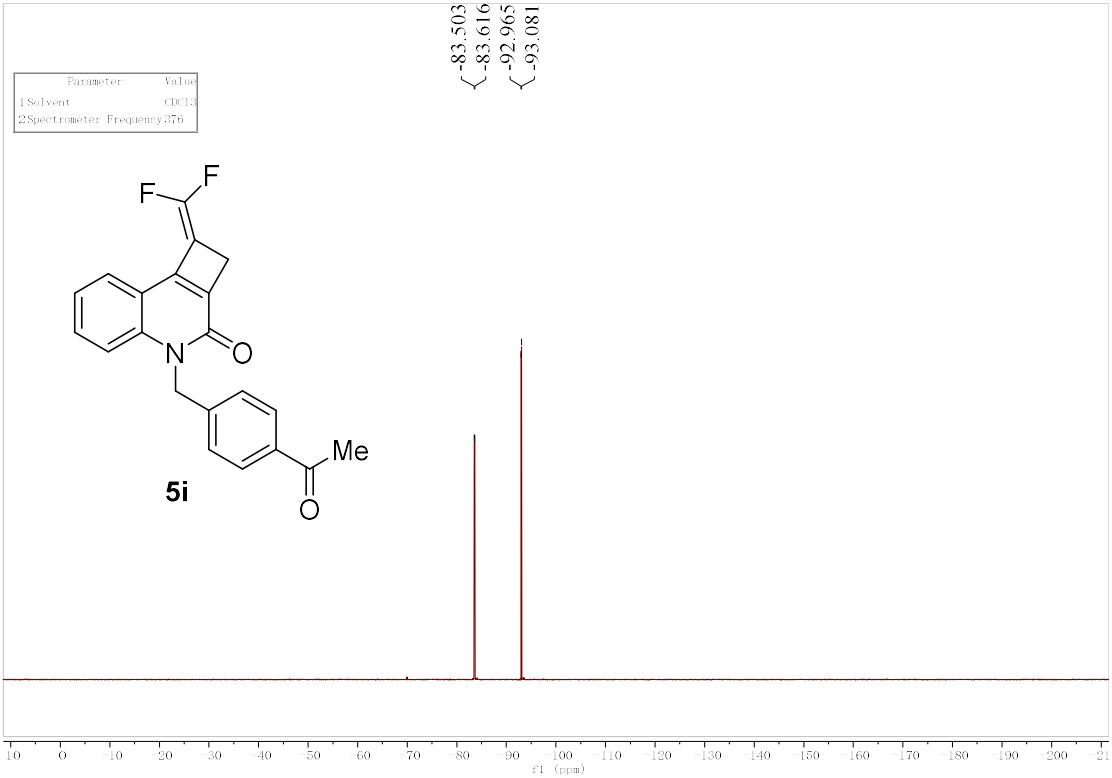
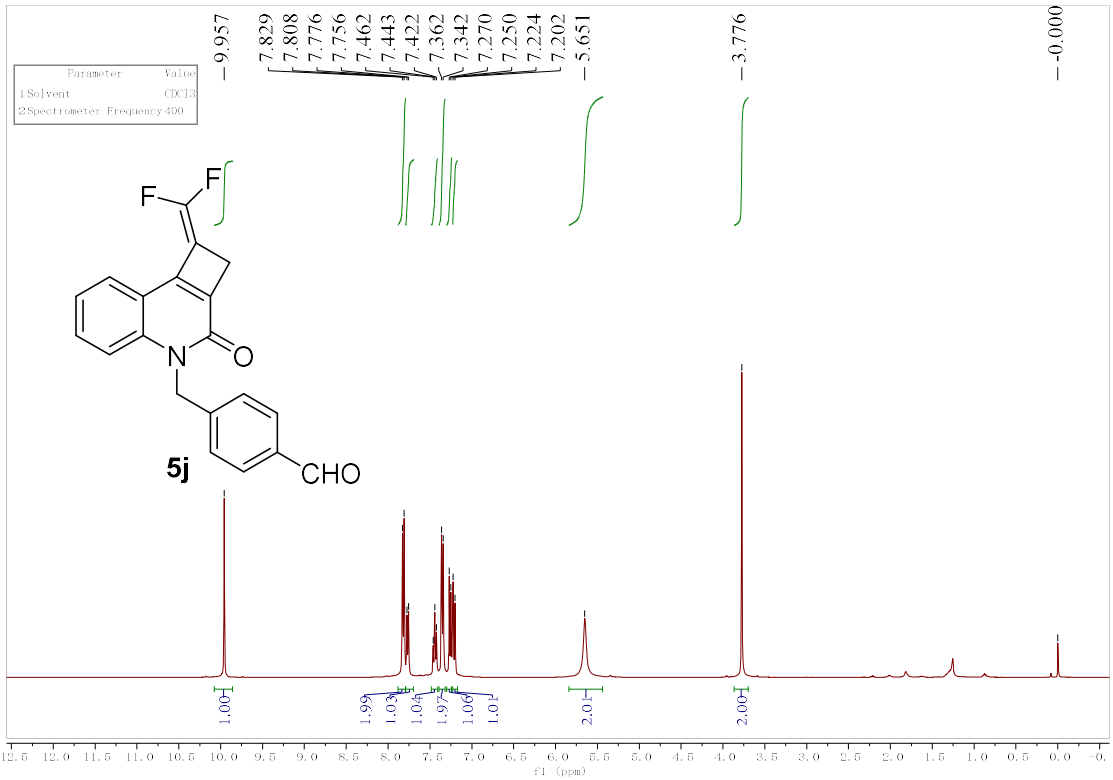
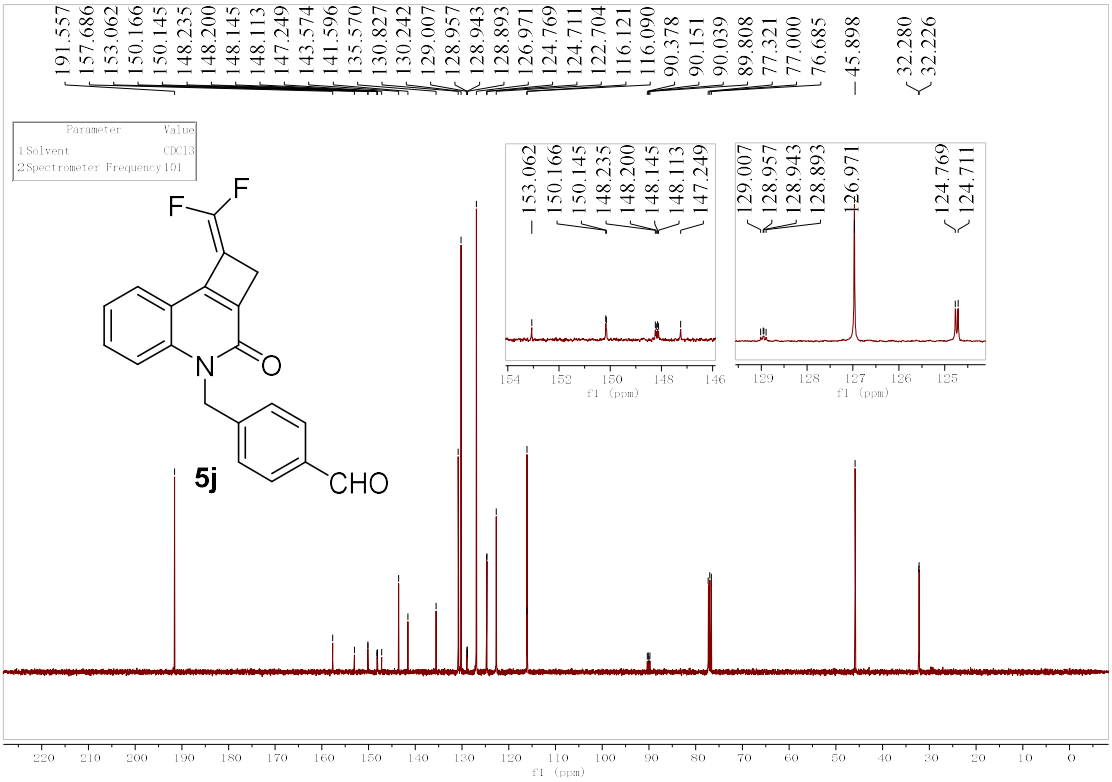
148.142
148.083
148.057
147.270
142.023
141.680
136.270
130.790
129.077
129.020
128.896
126.579
124.733
124.682
122.646
116.189
90.411
90.179
90.071
89.836
77.318
77.000
76.684
45.851
32.297
32.242
26.532
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
O
Me
O
5i
f1 (ppm)


-83.503
-83.616
-92.965
-93.081
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
O
Me
O
5i
f1 (ppm)


9.957
7.829
7.808
7.776
7.756
7.462
7.443
7.422
7.362
7.342
7.270
7.250
7.224
7.202
5.651
3.776
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
N
O
5j
CHO
1.00
1.99
1.03
1.04
1.97
1.08
1.01
2.01
2.00
f1 (ppm)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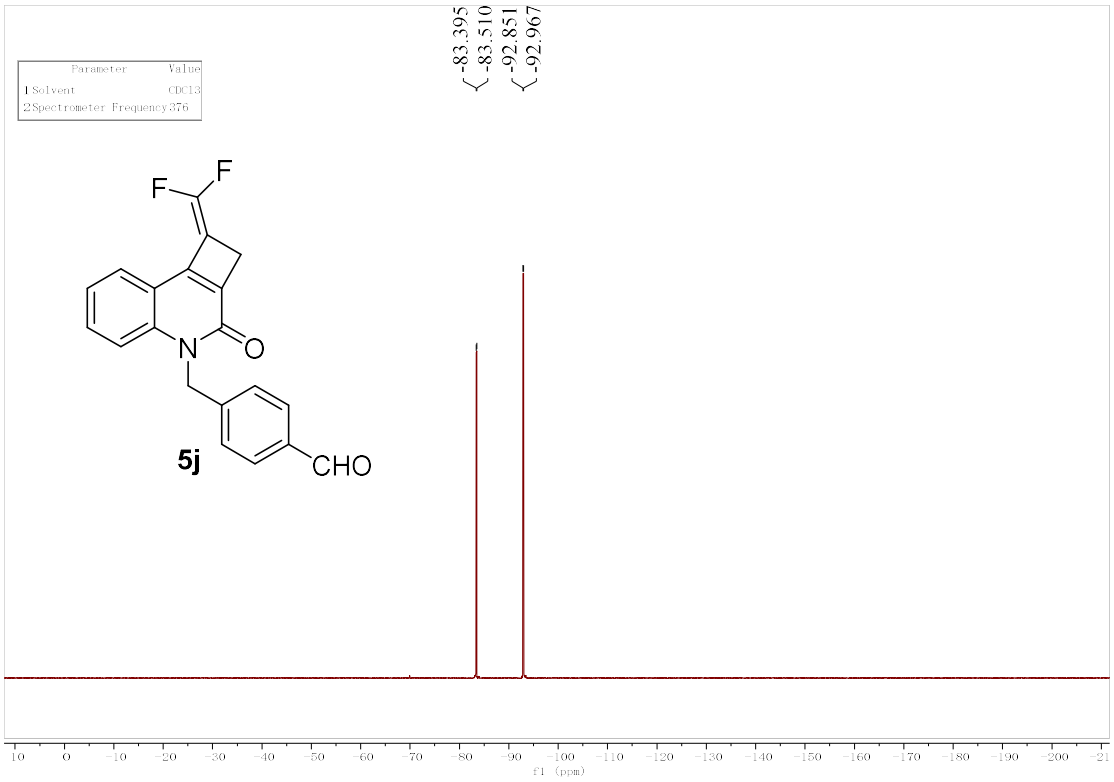
150.166
150.145
148.235
148.200
148.145
148.113
147.249
143.574
141.596
135.570
130.827
130.242
129.007
128.957
128.943
128.893
126.971
124.769
124.711
122.704
116.121
116.090
90.378
90.151
90.039
89.808
77.321
77.000
76.685
45.898
32.280
32.226
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
5j
CHO
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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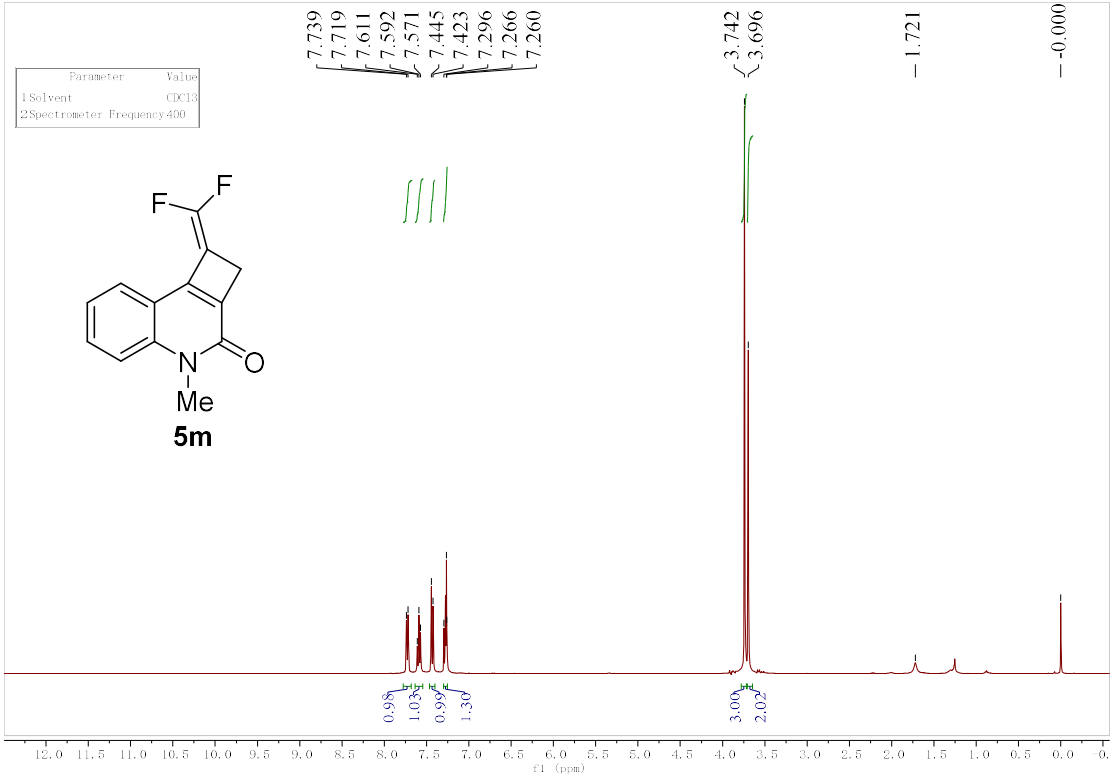
-83.510
-92.851
-92.967
F
F
N
O
5j
CHO
f1 (ppm)


Parameter Value
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2 Spectrometer Frequency 400
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7.611
7.592
7.571
7.445
7.423
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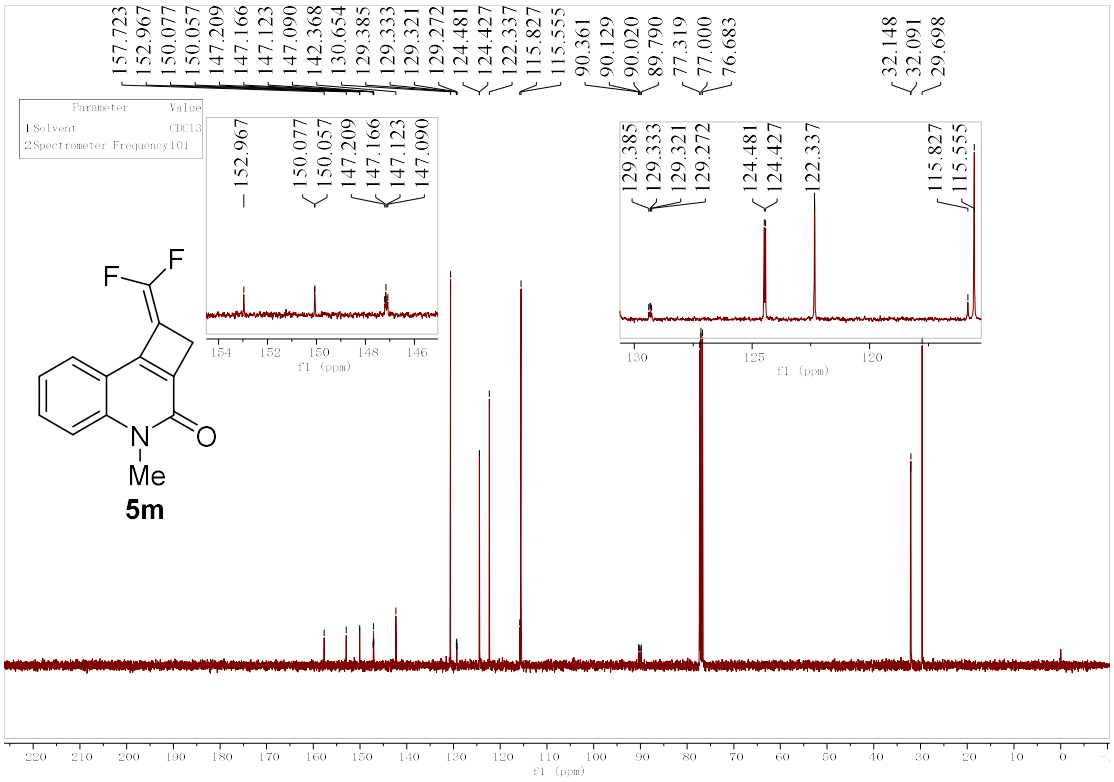
7.266
7.260
3.742
3.696
1.721
0.000
F
F
N
O
Me
5m
0.98
1.03
0.99
1.30
3.00
2.02
f1 (ppm)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152.967
150.077
150.057
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147.166
147.123
147.090
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130.654
129.385
129.333
129.321
129.272
124.481
124.427
122.337
115.827
115.555
90.361
90.129
90.020
89.790
77.319
77.000
76.683
32.148
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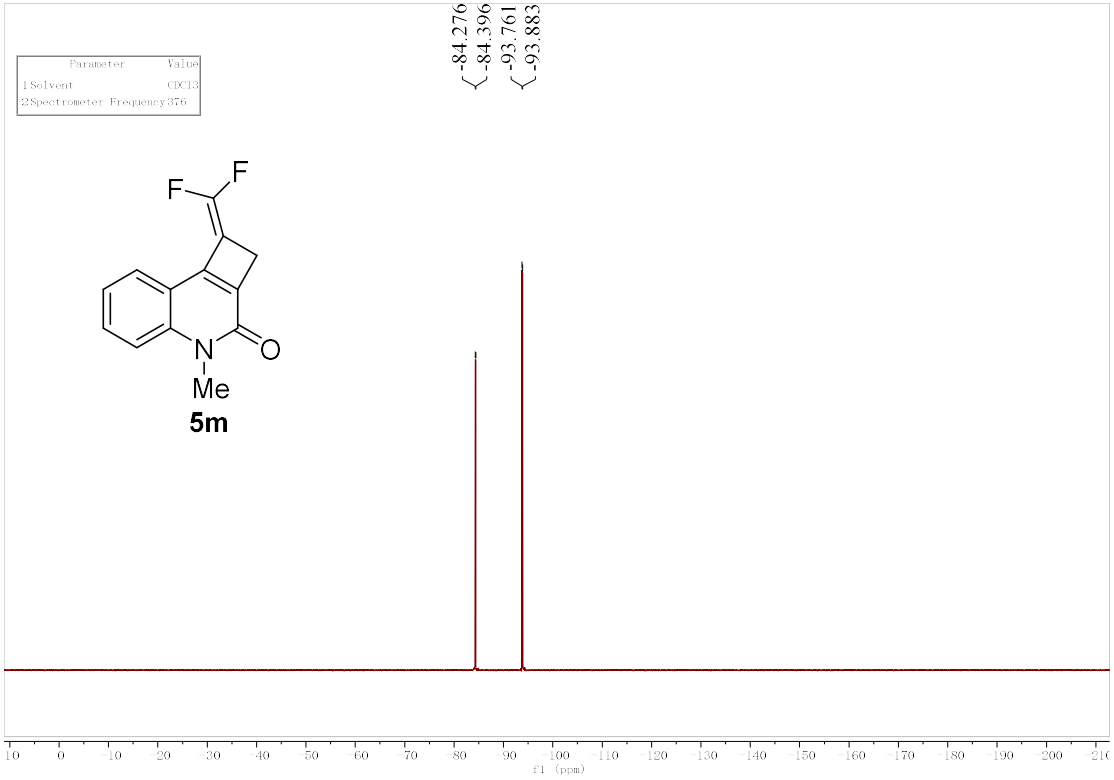
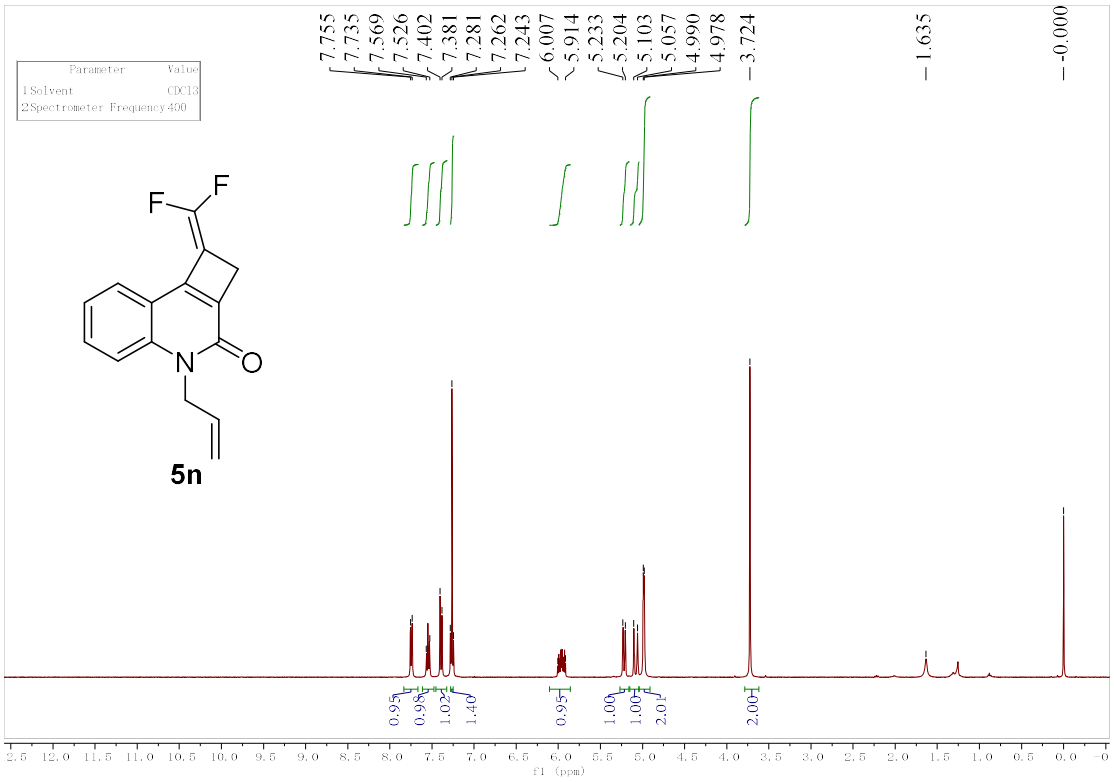
29.698
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
Me
5m
f1 (ppm)


-84.276
-84.396
-93.761
-93.883
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
Me
5m
f1 (ppm)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2Spectrometer Frequency 400
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7.735
7.569
7.526
7.402
7.381
7.281
7.262
7.243
6.007
5.914
5.233
5.204
5.103
5.057
4.990
4.978
3.724
1.635
-0.000
F
F
N
O
5n
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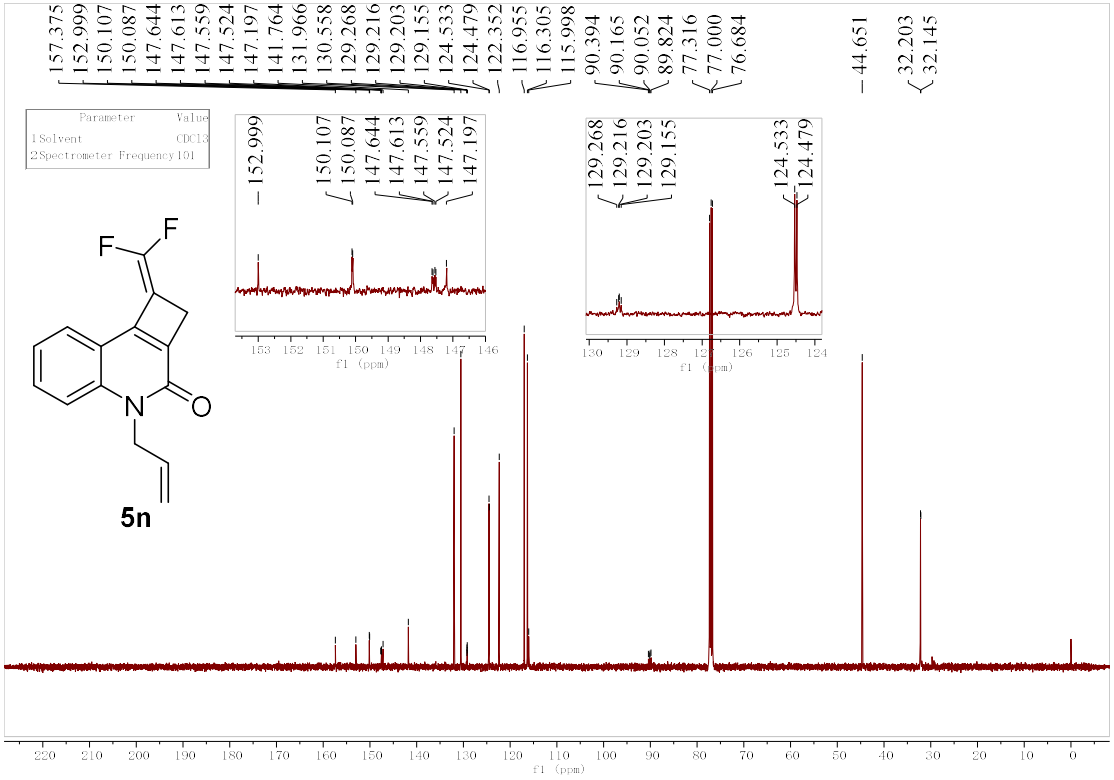
0.98
1.03
1.40
0.95
1.00
1.00
2.01
2.00
f1 (ppm)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129.268
129.216
129.203
129.155
124.533
124.479
122.352
116.955
116.305
115.998
90.394
90.165
90.052
89.824
77.316
77.000
76.684
44.651
32.203
32.145
Parameter Value
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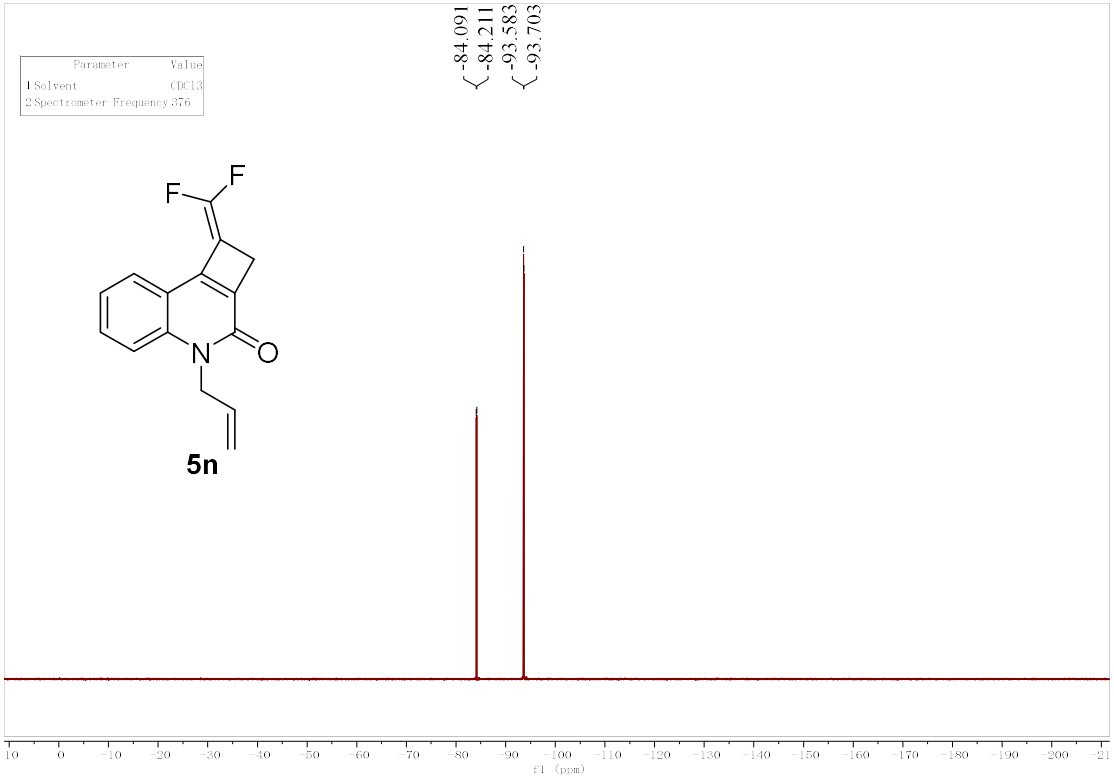
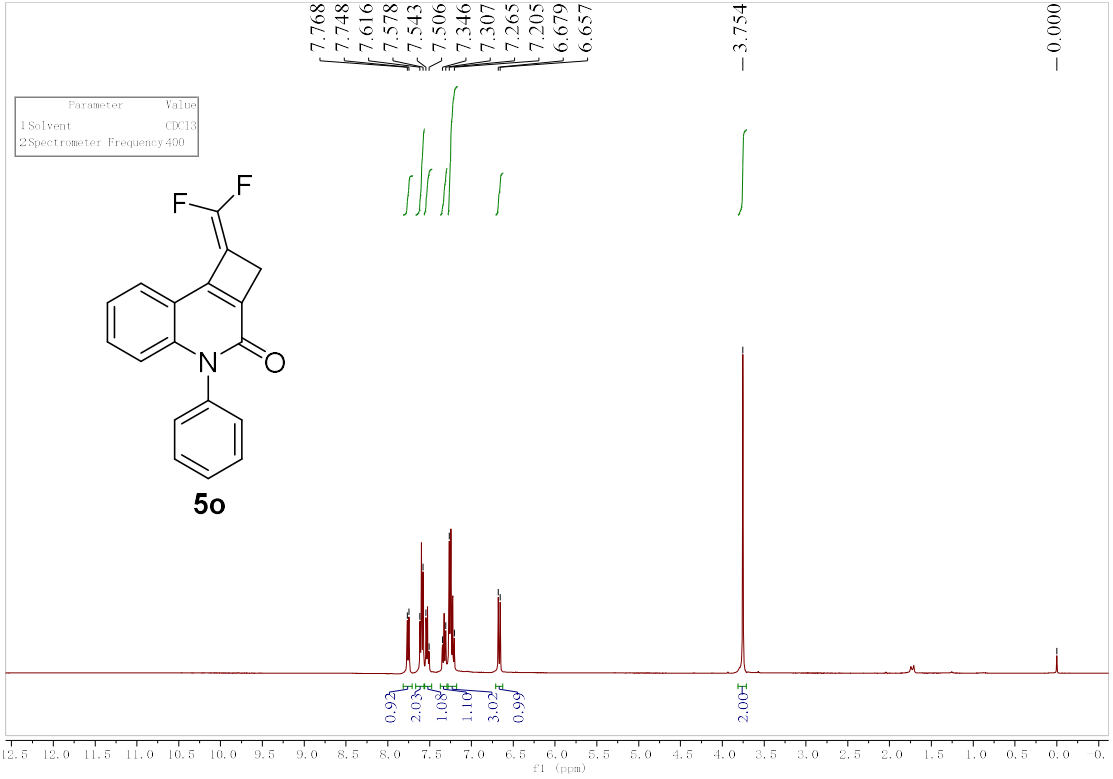
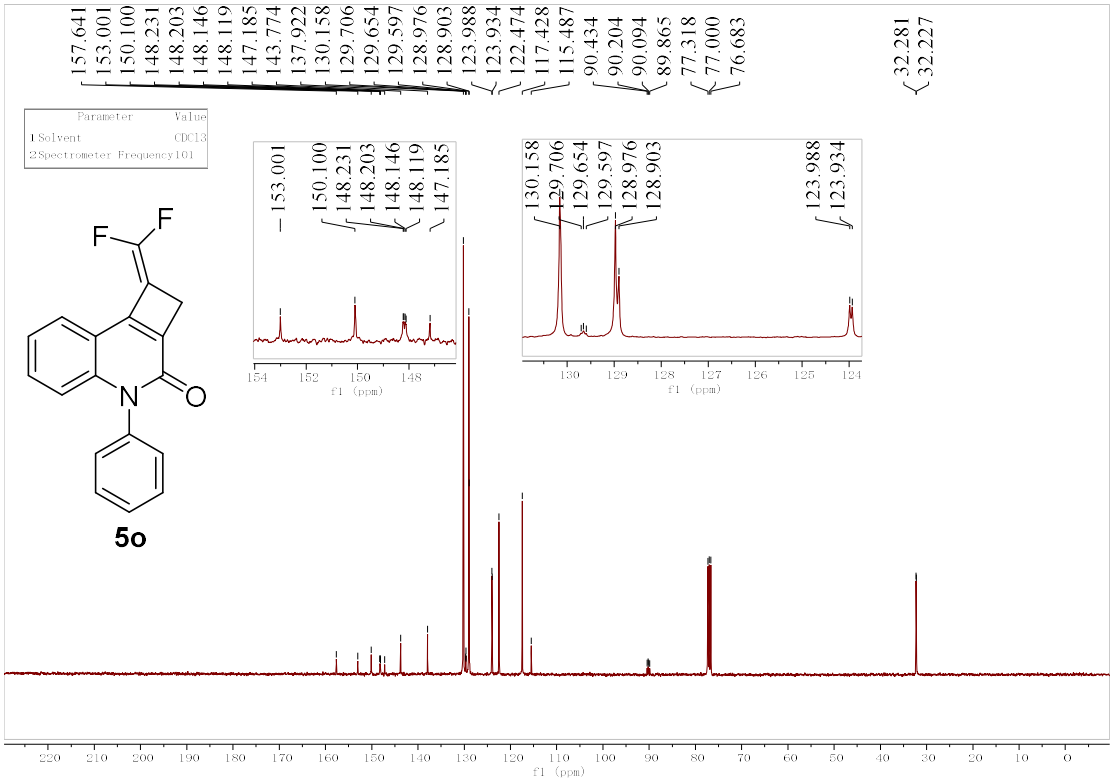
2Spectrometer Frequency 101
F
F
N
O
5n
f1 (ppm)


-84.091
-84.211
-93.583
-93.703
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
5n
f1 (ppm)


7.768
7.748
7.616
7.578
7.543
7.506
7.346
7.307
7.265
7.205
6.679
6.657
3.754
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
N
O
5o
0.92
2.03
1.08
1.10
3.02
0.99
2.00
f1 (ppm)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153.001
150.100
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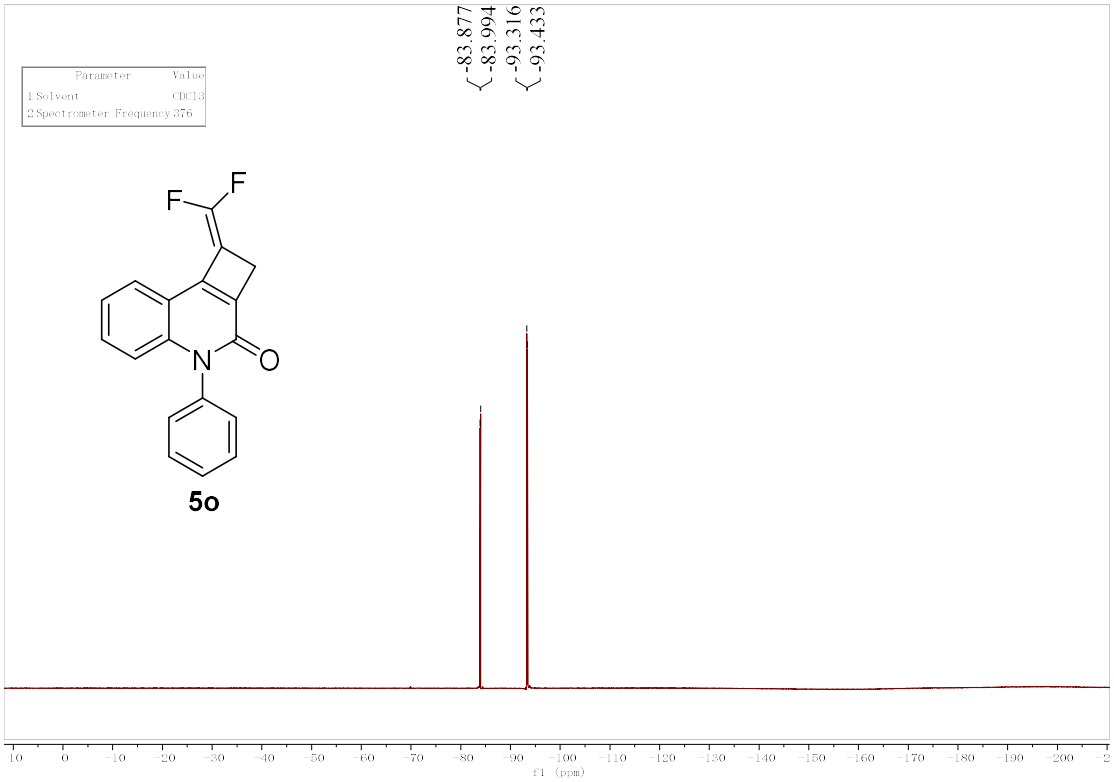
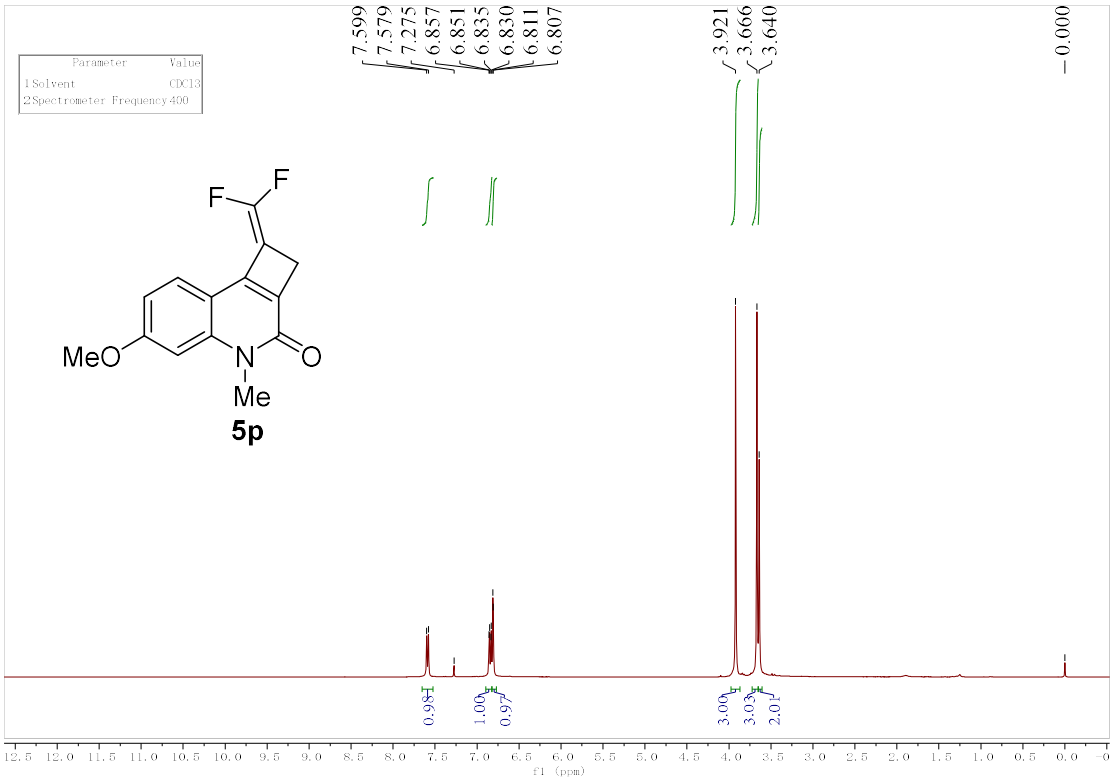
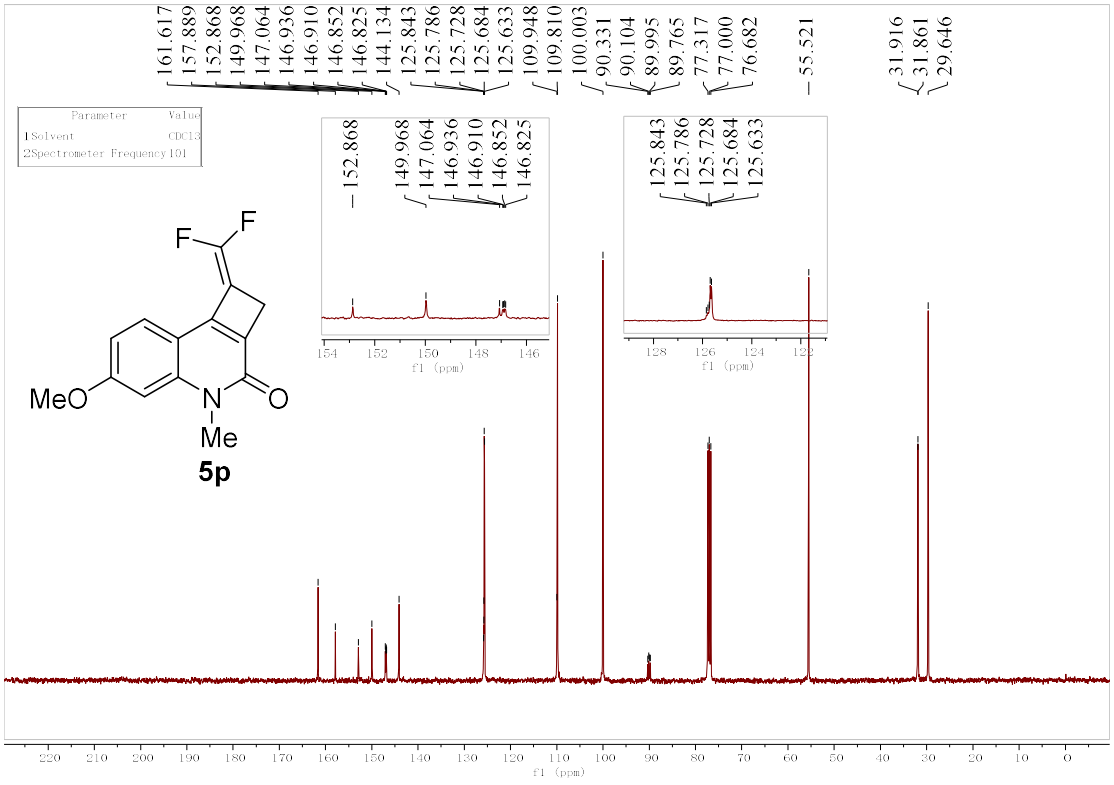
148.203
148.146
148.119
147.185
143.774
137.922
130.158
129.706
129.654
129.597
128.976
128.903
123.988
123.934
122.474
117.428
115.487
90.434
90.204
90.094
89.865
77.318
77.000
76.683
32.281
32.227
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
N
O
5o
f1 (ppm)


-83.877
-83.994
-93.316
-93.433
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
N
O
5o
f1 (ppm)


7.599
7.579
7.275
6.857
6.851
6.835
6.830
6.811
6.807
3.921
3.666
3.640
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
MeO
N
O
Me
5p
0.98
1.00
0.97
3.00
3.03
2.01
f1 (ppm)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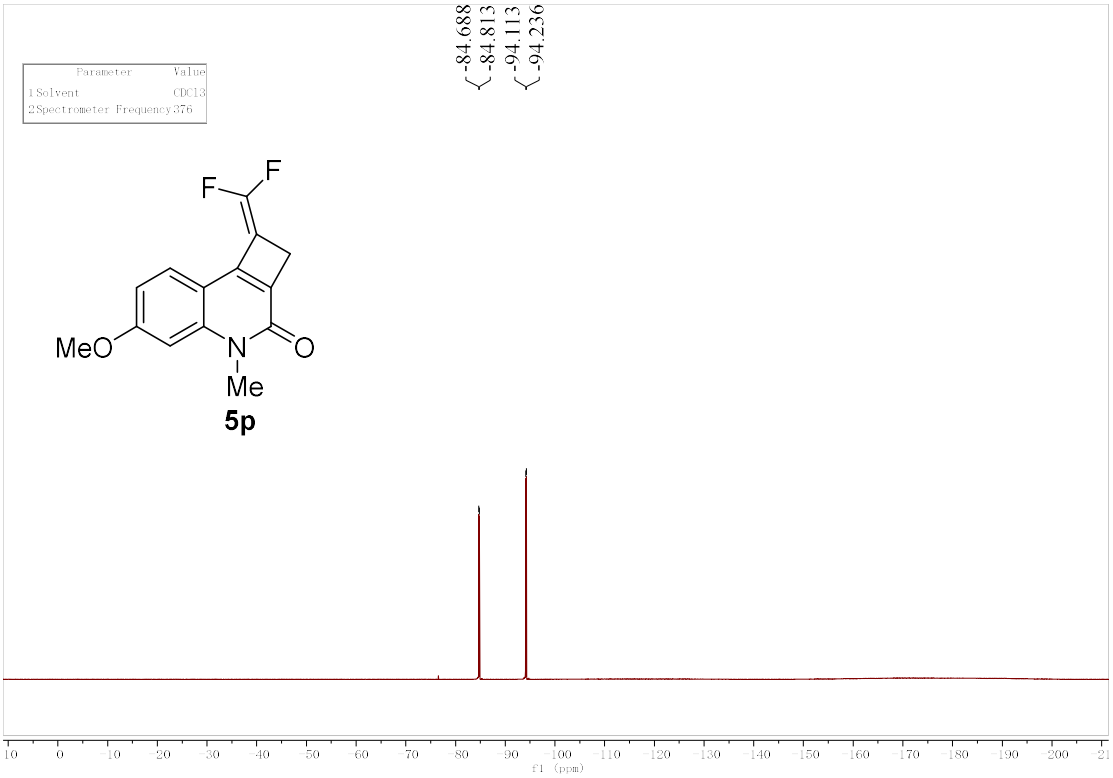
146.936
146.910
146.852
146.825
144.134
125.843
125.786
125.728
125.684
125.633
109.948
109.810
100.003
90.331
90.104
89.995
89.765
77.317
77.000
76.682
55.521
31.916
31.861
29.646
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
MeO
N
O
Me
5p
f1 (ppm)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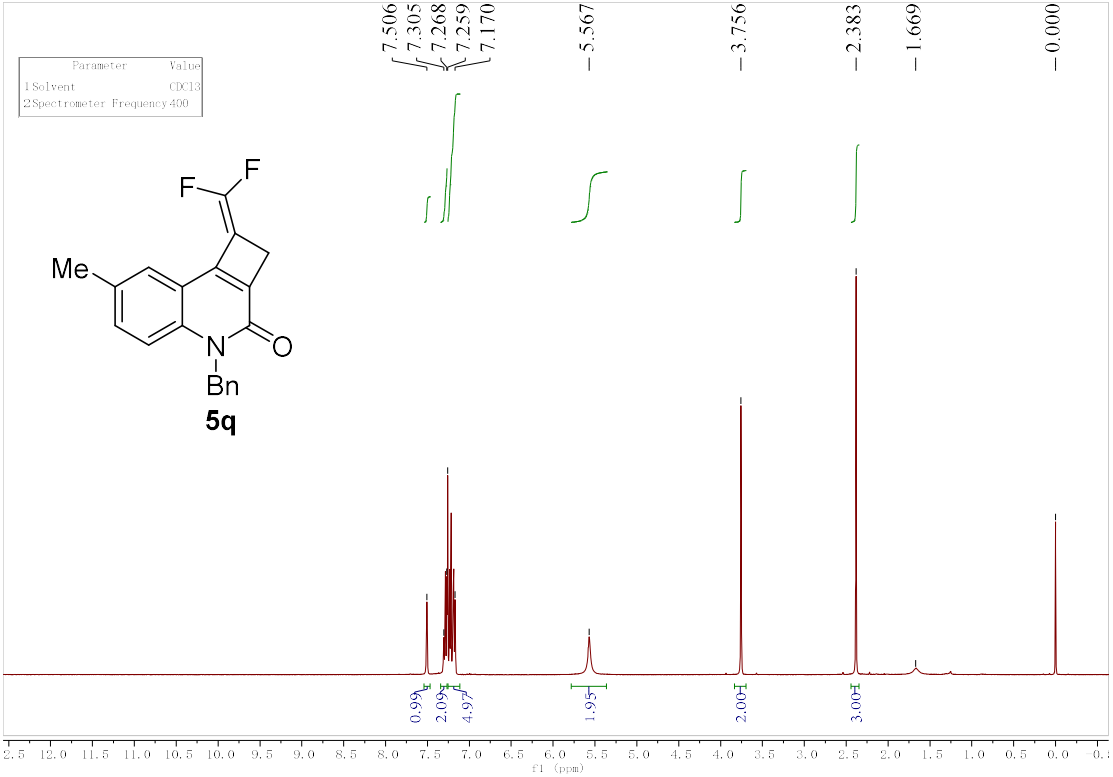
-84.813
-94.113
-94.236
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
F
F
MeO
N
O
Me
5p
f1 (ppm)


7.506
7.305
7.268
7.259
7.170
5.567
3.756
2.383
1.669
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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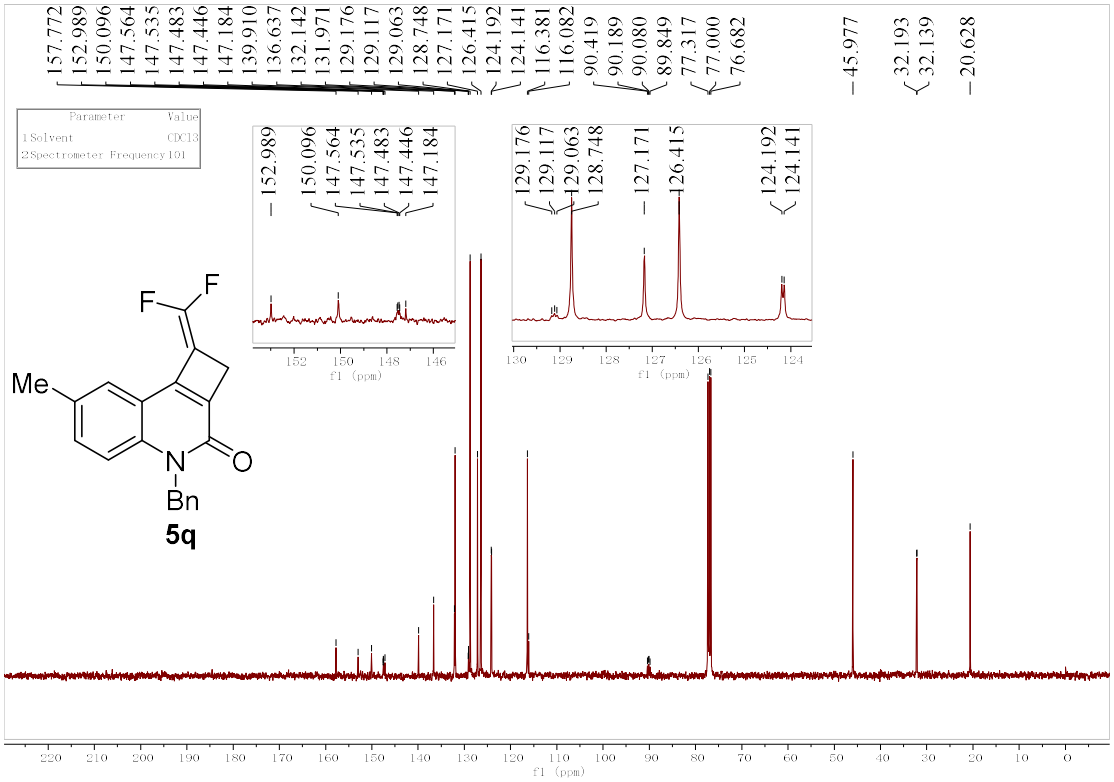
F
Me
N
O
Bn
5q
0.99
2.09
4.97
1.95
2.00
3.00
f1 (ppm)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147.483
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132.142
131.971
129.176
129.117
129.063
128.748
127.171
126.415
124.192
124.141
116.381
116.082
90.419
90.189
90.080
89.849
77.317
77.000
76.682
45.977
32.193
32.139
20.628
Parameter Value
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2 Spectrometer Frequency 101
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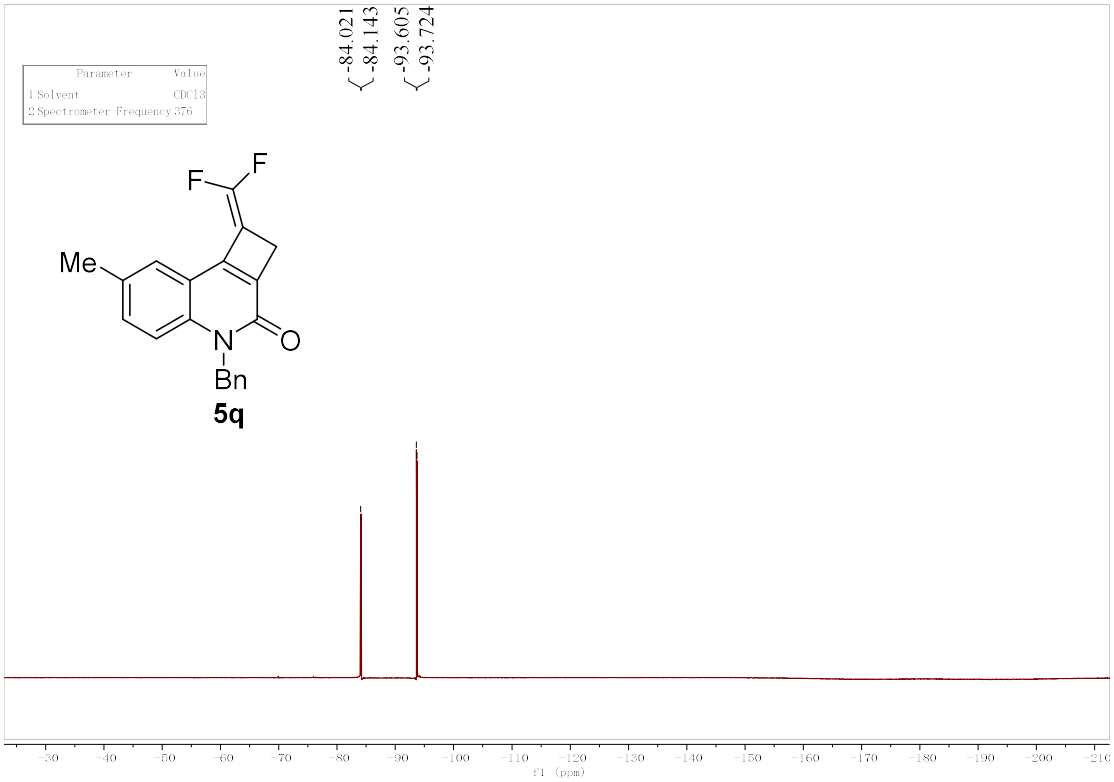
F
Me
N
O
Bn
5q
f1 (ppm)


-84.021
-84.143
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-93.724
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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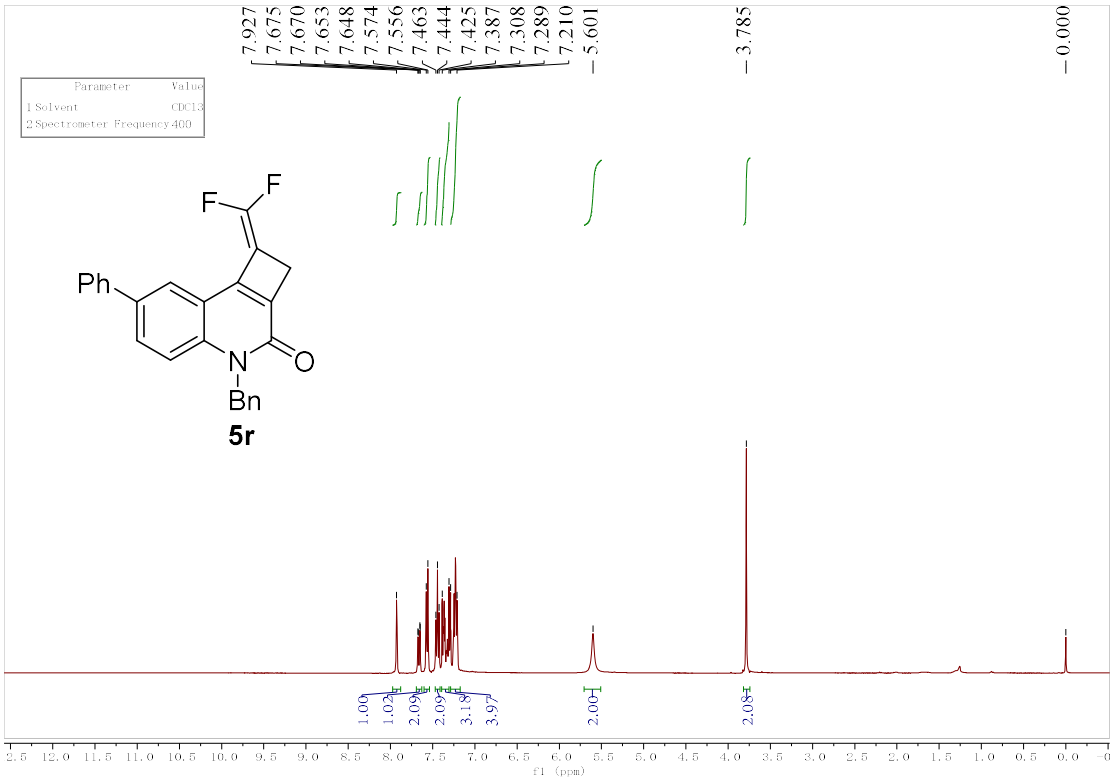
F
Me
N
O
Bn
5q
f1 (ppm)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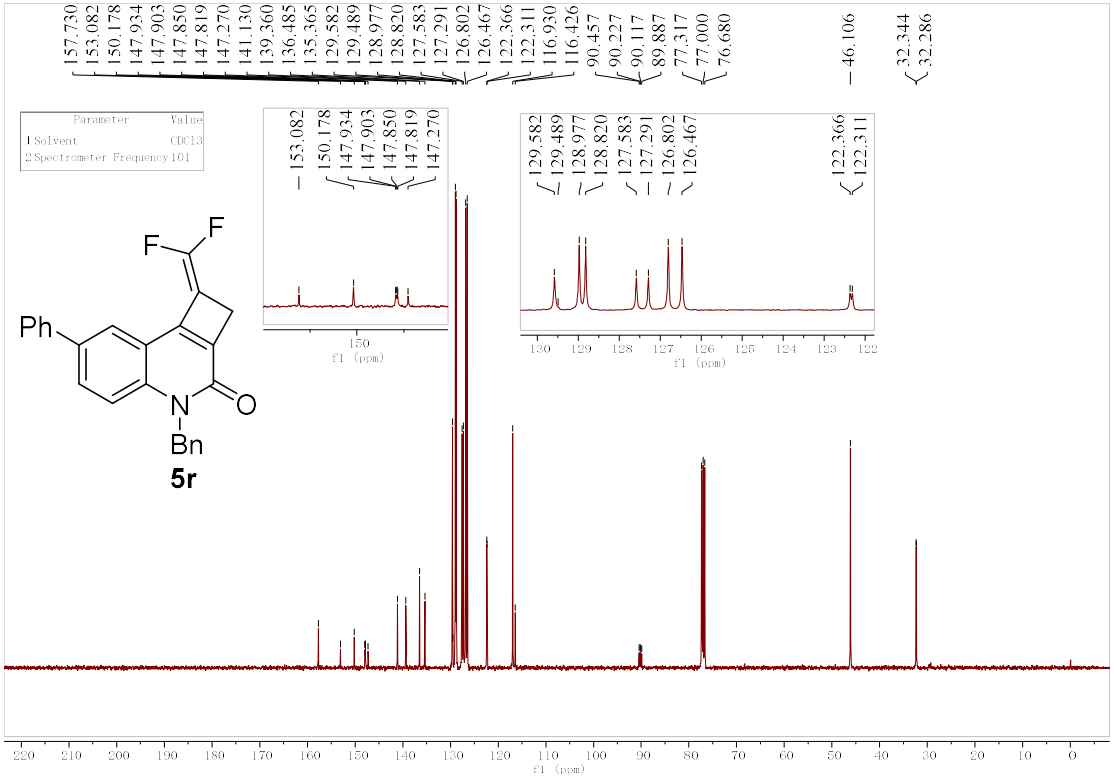
7.670
7.653
7.648
7.574
7.556
7.463
7.444
7.425
7.387
7.308
7.289
7.210
5.601
3.785
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
Ph
N
O
Bn
5r
1.00
1.03
2.09
2.09
3.18
3.97
2.00
2.08
f1 (ppm)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129.582
129.489
128.977
128.820
127.583
127.291
126.802
126.467
122.366
122.311
116.930
116.426
90.457
90.227
90.117
89.887
77.317
77.000
76.680
46.106
32.344
32.286
Parameter Value
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2 Spectrometer Frequency 101
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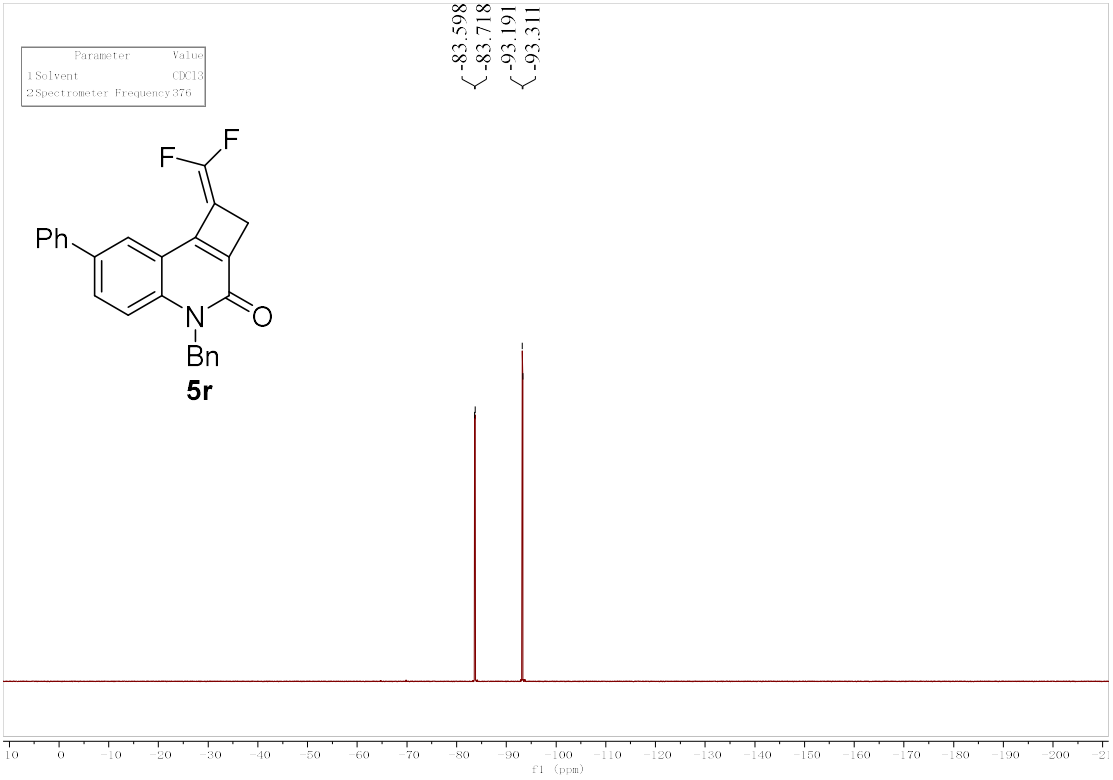
F
Ph
N
O
Bn
5r
f1 (ppm)
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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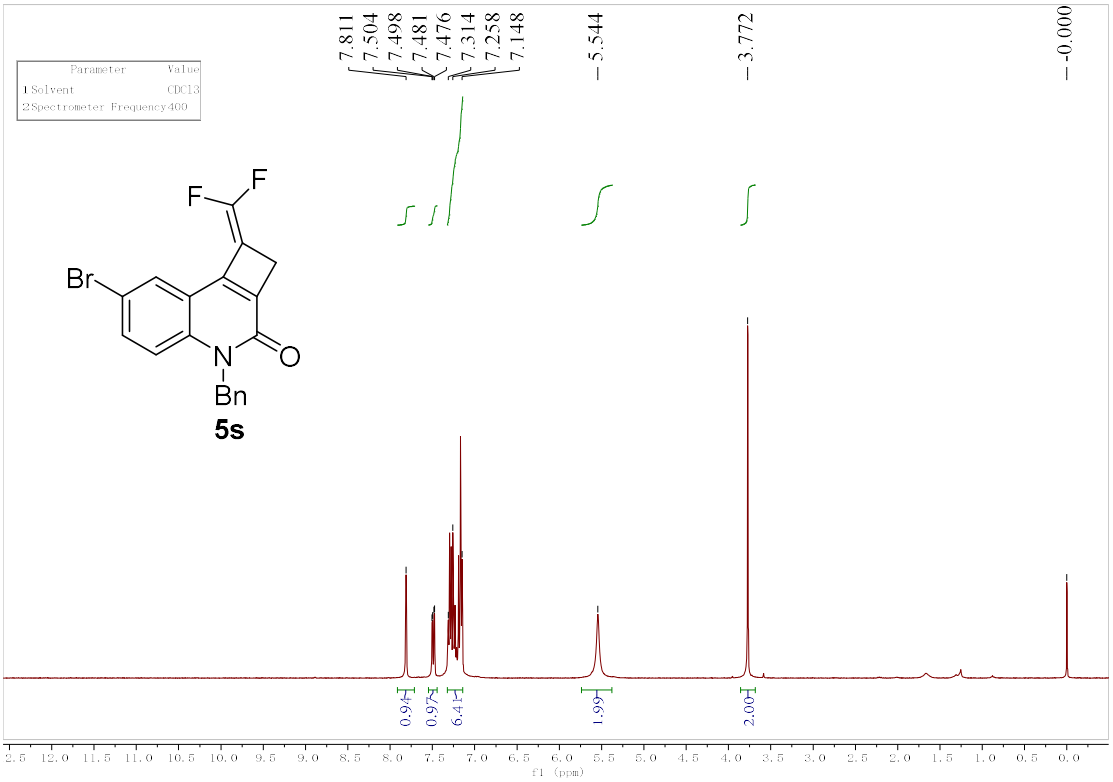
-93.311
F
F
Ph
N
O
Bn
5r
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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7.498
7.481
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7.258
7.148
5.544
3.772
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F
F
Br
N
O
Bn
5s
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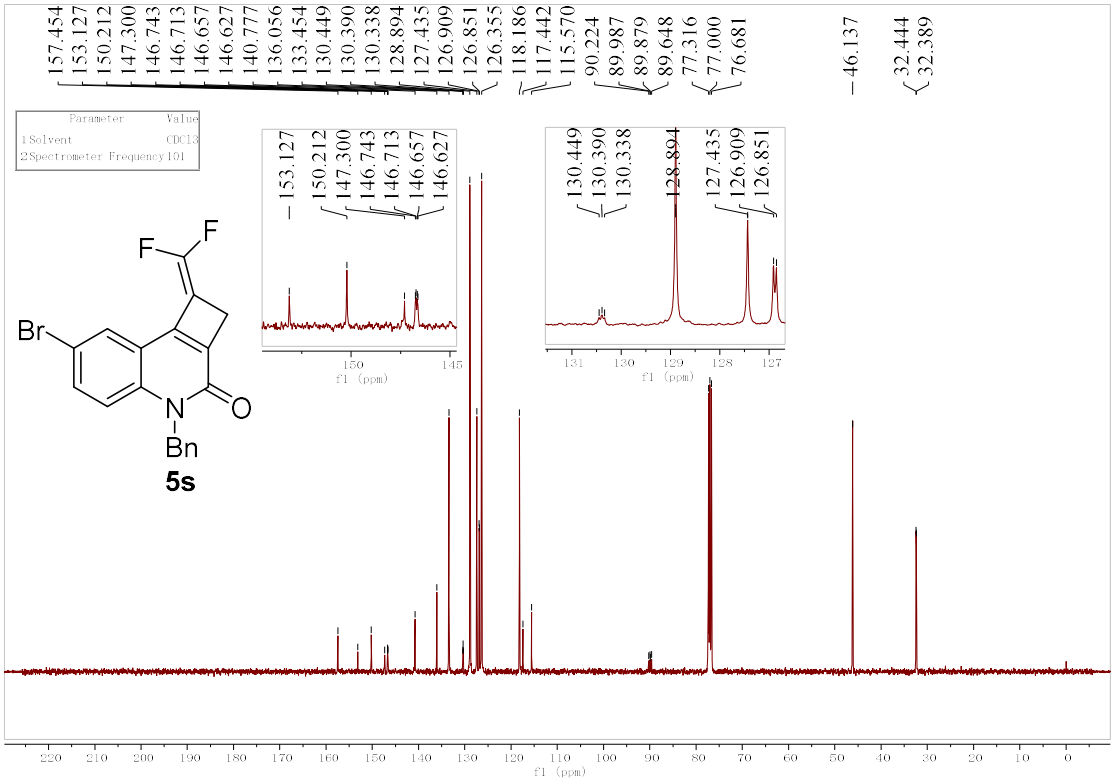
0.97
6.41
1.99
2.00
f1 (ppm)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130.390
130.338
128.894
127.435
126.909
126.851
126.355
118.186
117.442
115.570
90.224
89.987
89.879
89.648
77.316
77.000
76.681
46.137
32.444
32.389
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
Br
N
O
Bn
5s
f1 (ppm)


-83.093
-83.208
-92.557
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Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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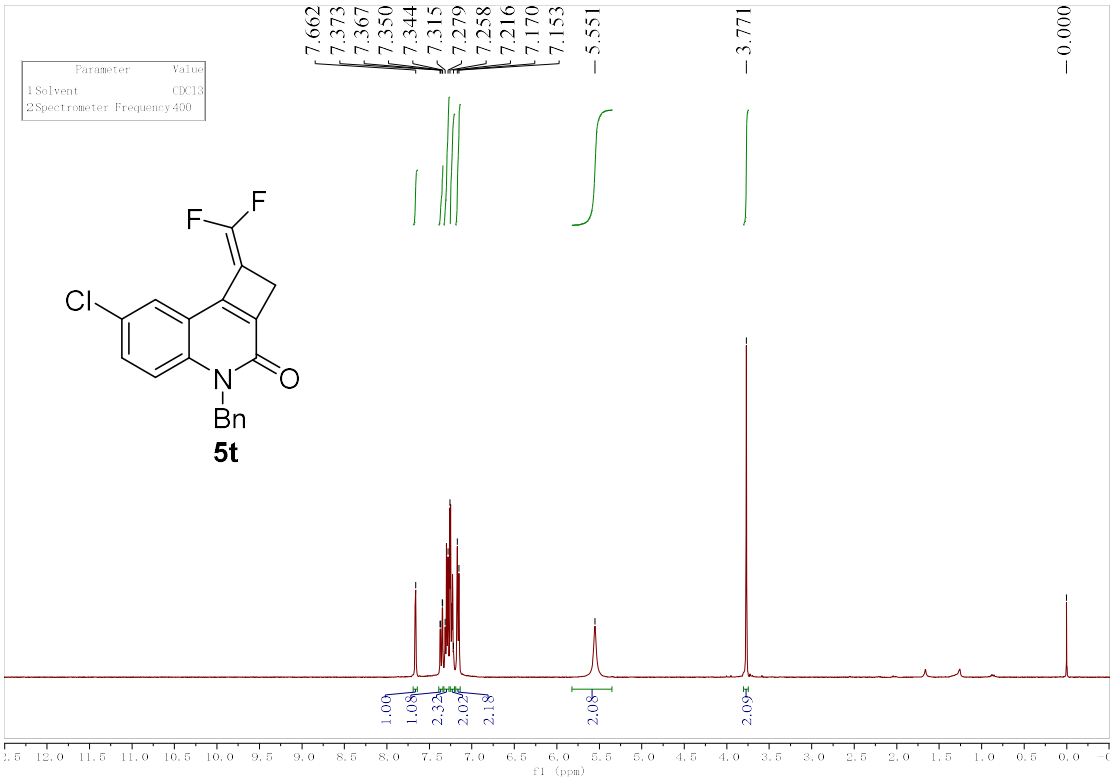
F
Br
N
O
Bn
5s
f1 (ppm)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7.344
7.315
7.279
7.258
7.216
7.170
7.153
5.551
3.771
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
F
F
Cl
N
O
Bn
5t
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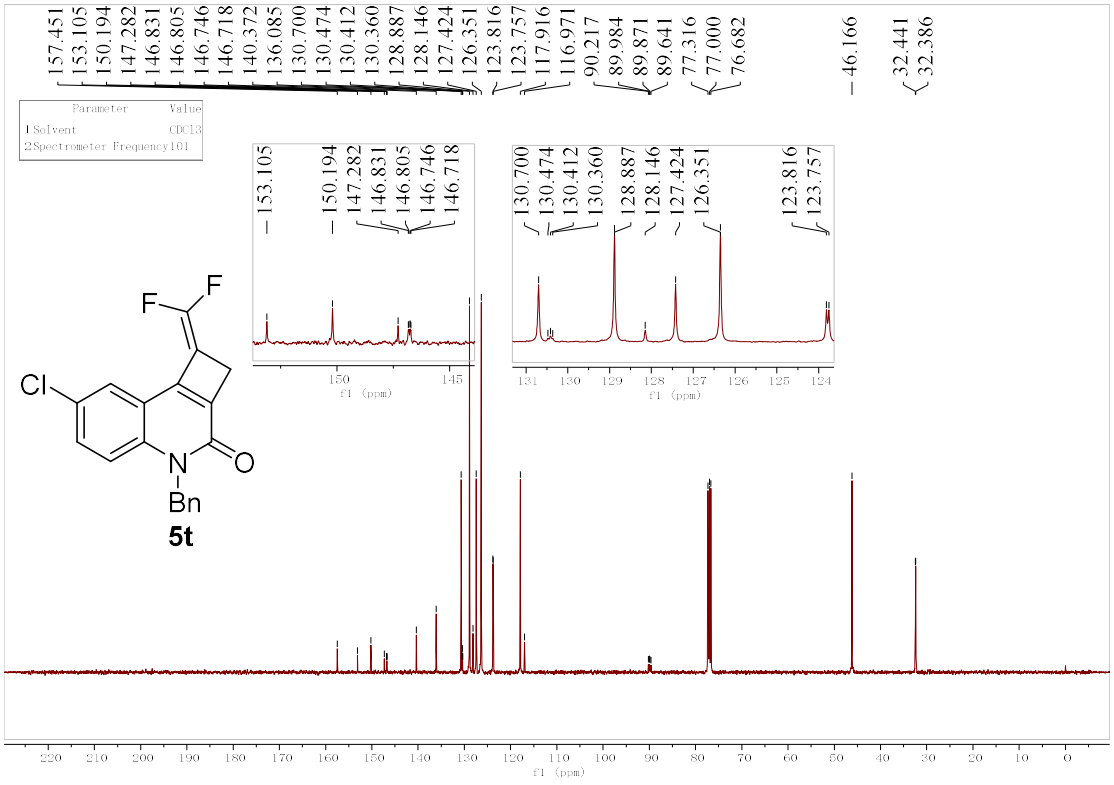
1.05
2.33
2.02
2.18
2.08
2.09
f1 (ppm)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128.887
128.146
127.424
126.351
123.816
123.757
117.916
116.971
90.217
89.984
89.871
89.641
77.316
77.000
76.682
46.166
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Parameter Value
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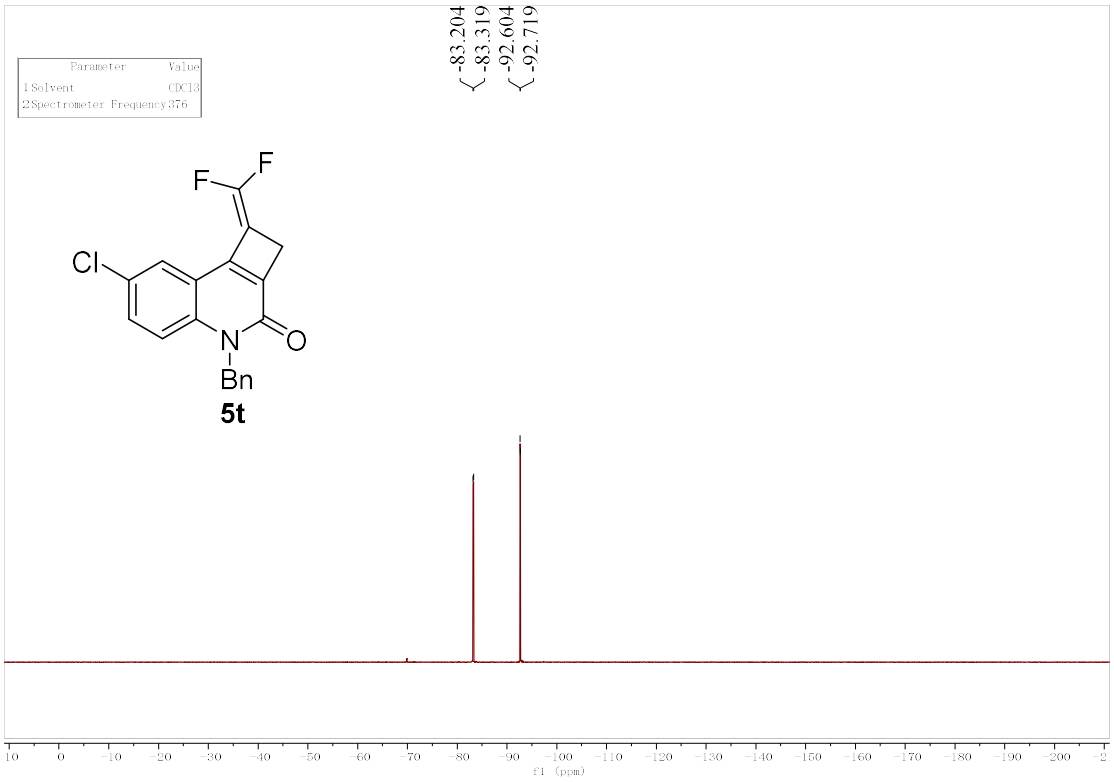
2 Spectrometer Frequency 101
F
F
Cl
N
O
Bn
5t
f1 (ppm)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-83.319
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-92.719
Parameter Value
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2 Spectrometer Frequency 376
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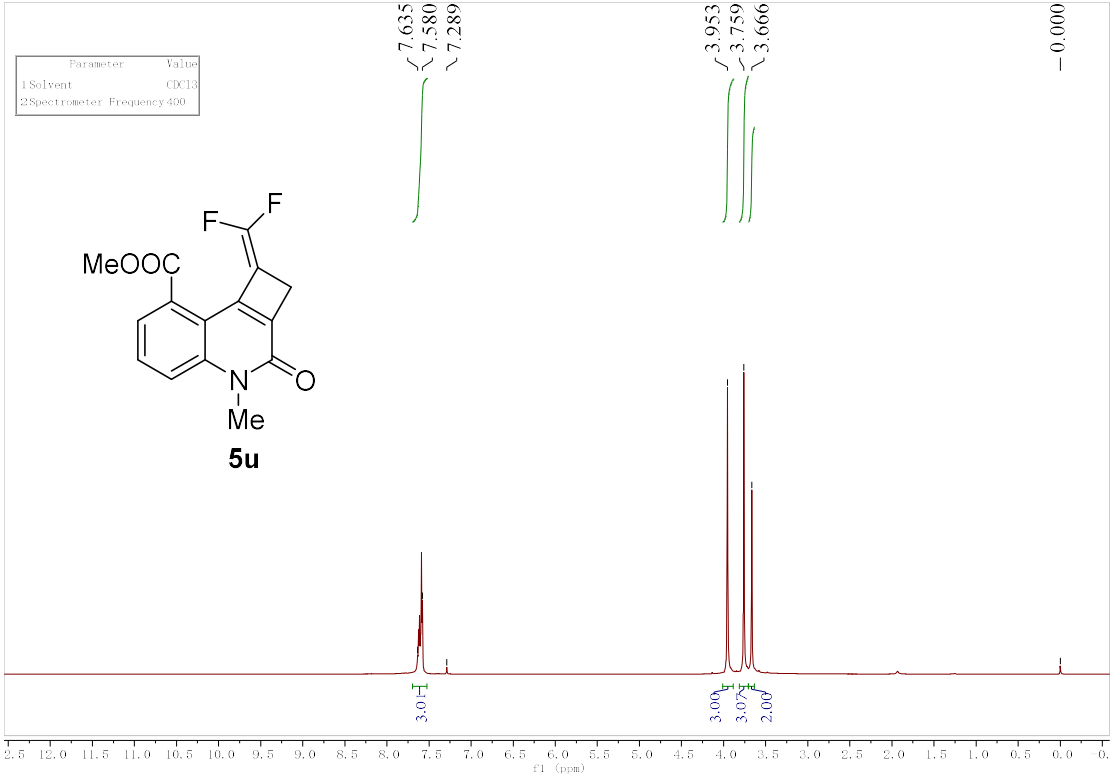
F
Cl
N
O
Bn
5t
f1 (ppm)


7.635
7.580
7.289
3.953
3.759
3.666
0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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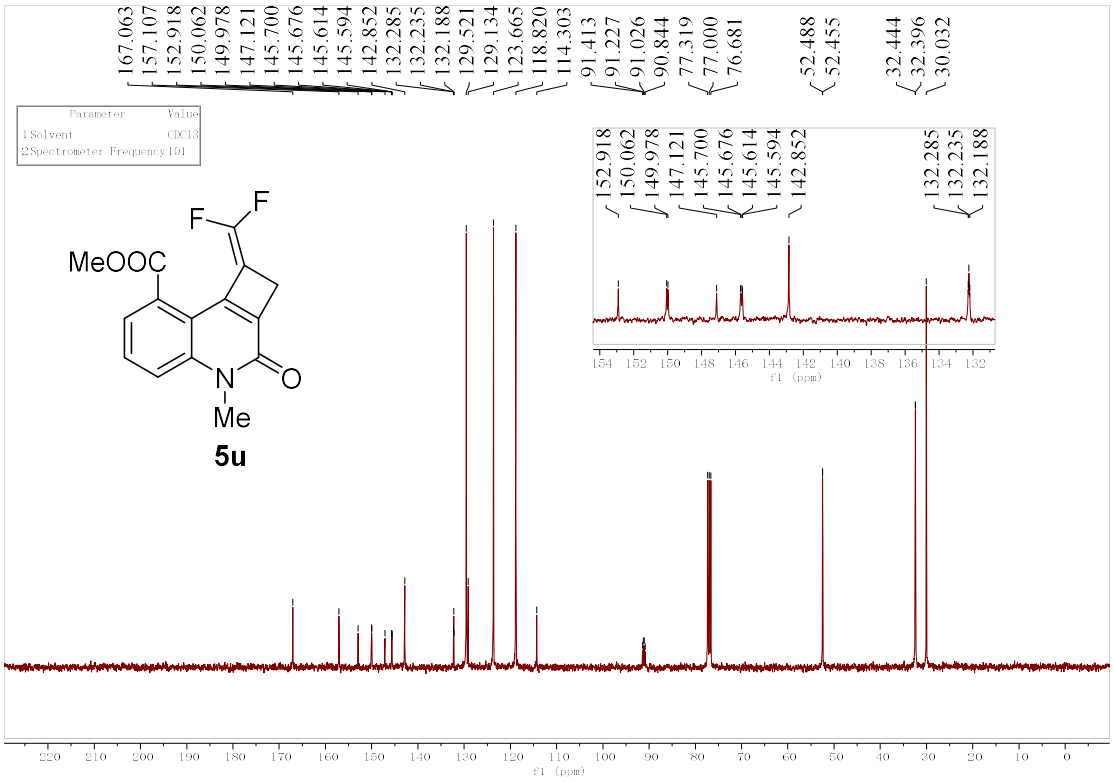
F
MeOOC
N
O
Me
5u
3.01
3.00
3.07
2.00
f1 (ppm)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142.852
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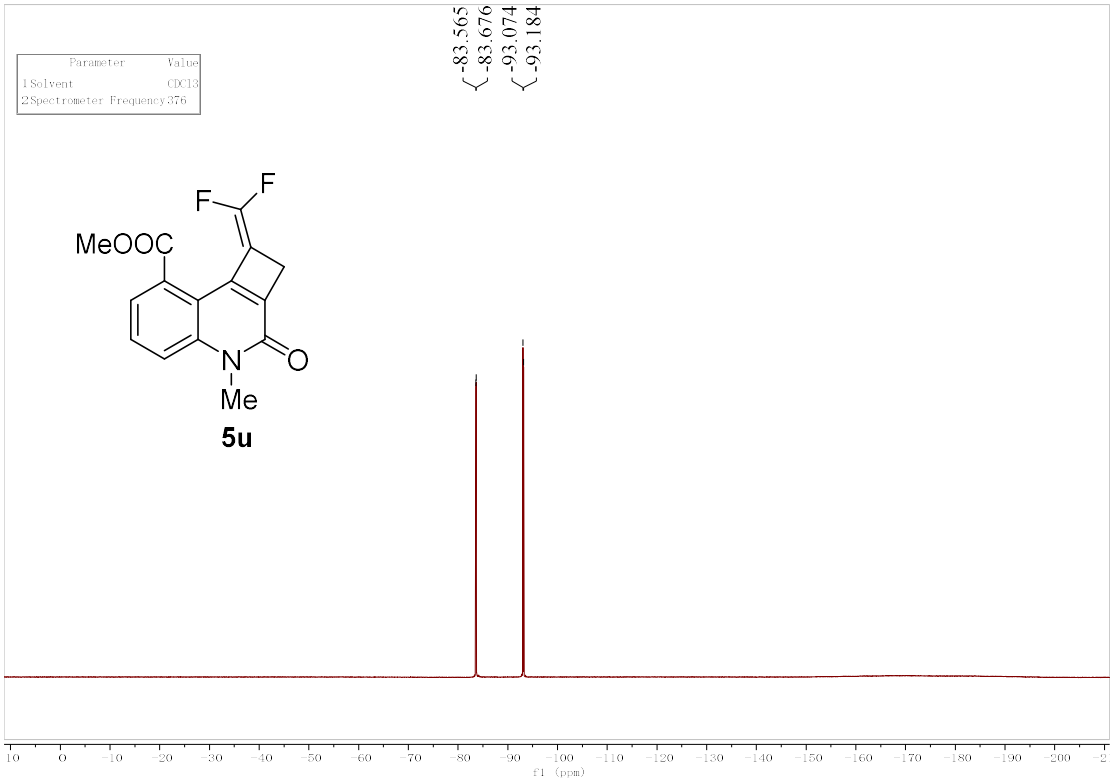
132.235
132.188
129.521
129.134
123.665
118.820
114.303
91.413
91.227
91.026
90.844
77.319
77.000
76.681
52.488
52.455
32.444
32.396
30.032
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
F
F
MeOOC
N
O
Me
5u
f1 (ppm)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2 Spectrometer Frequency 376
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F
MeOOC
N
O
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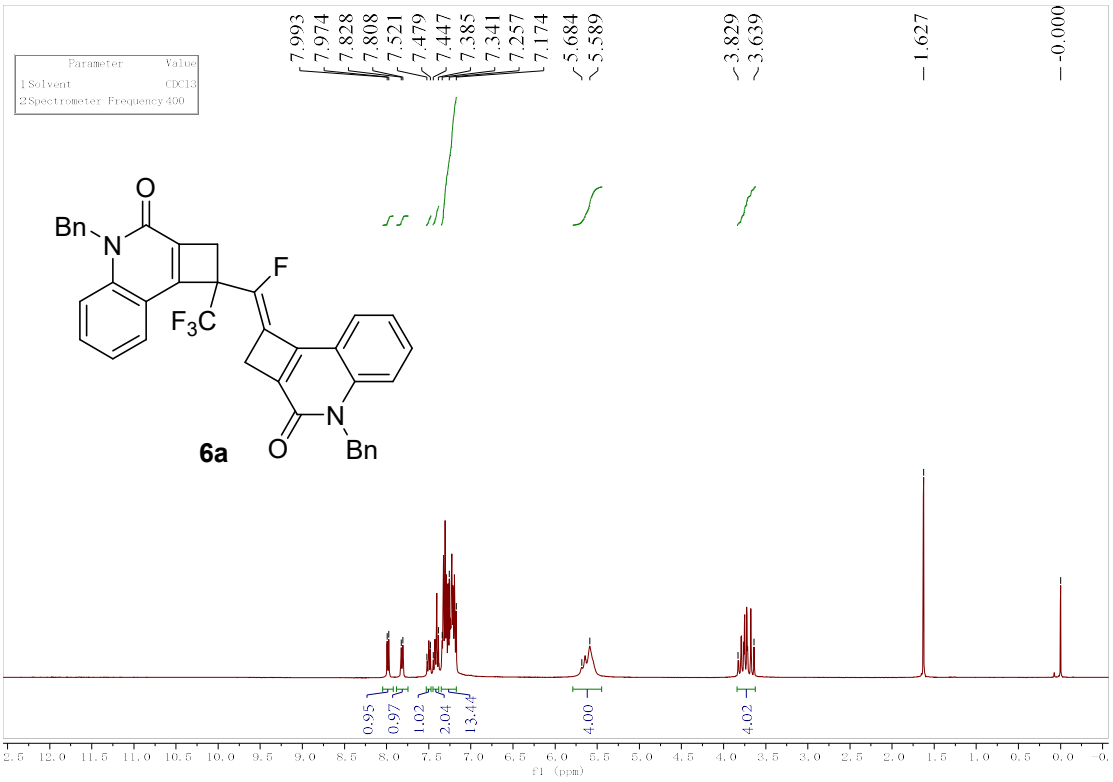
5u
f1 (ppm)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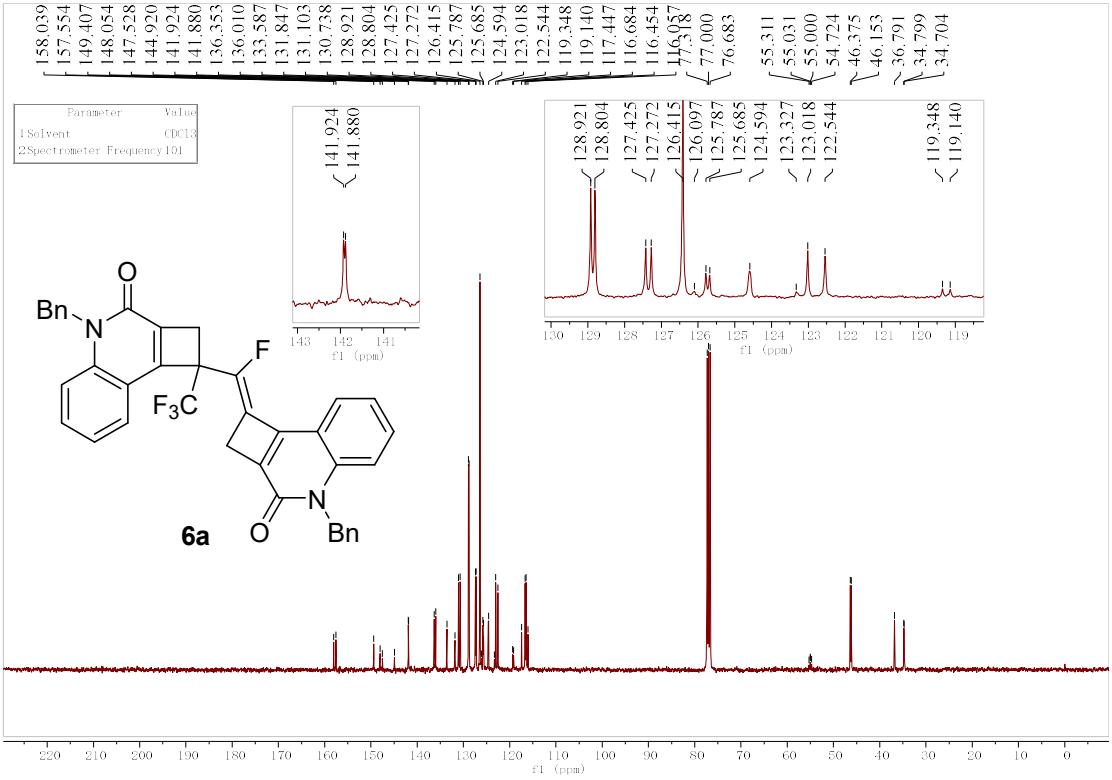
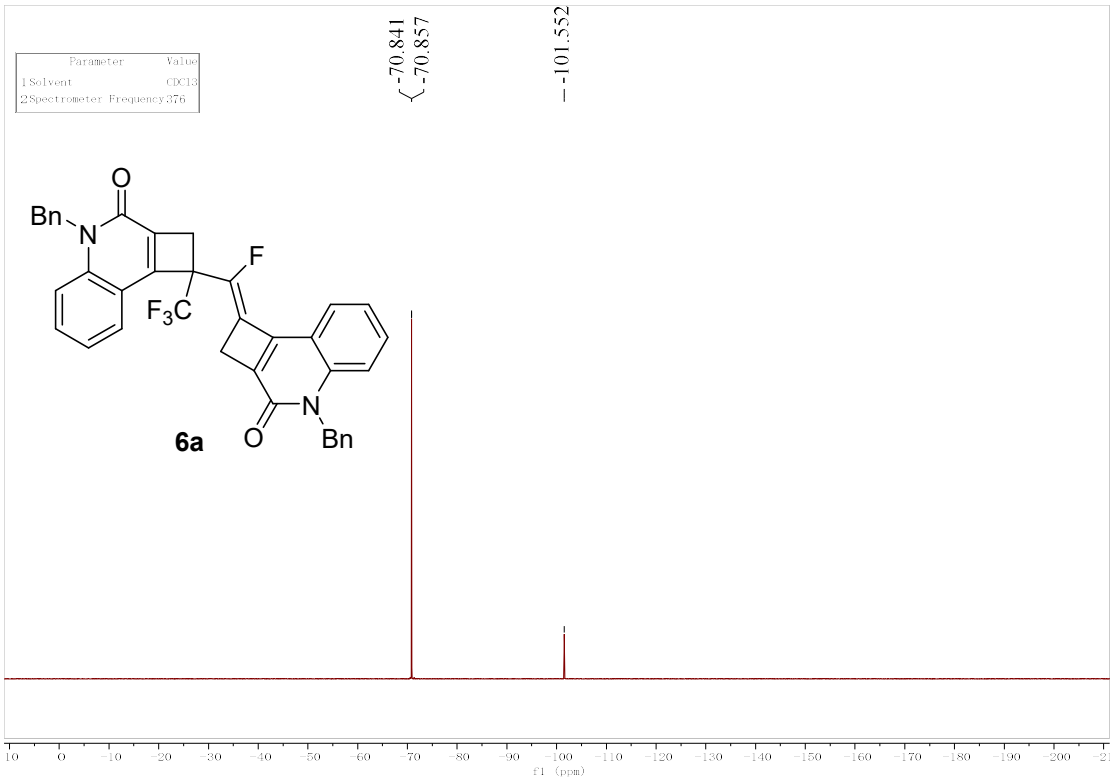
5.684
5.589
3.829
3.639
1.627
-0.000
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
Bn
N
O
F
F3C
O
N
Bn
6a
0.95
0.97
1.02
2.04
13.44
4.00
4.02
f1 (ppm)


158.039
157.554
149.407
148.054
147.528
144.920
141.924
141.880
136.353
136.010
133.587
131.847
131.103
130.738
128.921
128.804
127.425
127.272
126.415
125.787
125.685
124.594
123.018
122.544
119.348
119.140
117.447
116.684
116.454
116.057
77.318
77.000
76.683
55.311
55.031
55.000
54.724
46.375
46.153
36.791
34.799
34.704
Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
141.924
141.880
128.921
128.804
127.425
127.272
126.415
126.097
125.787
125.685
124.594
123.327
123.018
122.544
119.348
119.140
Bn
N
O
F
F3C
O
N
Bn
6a
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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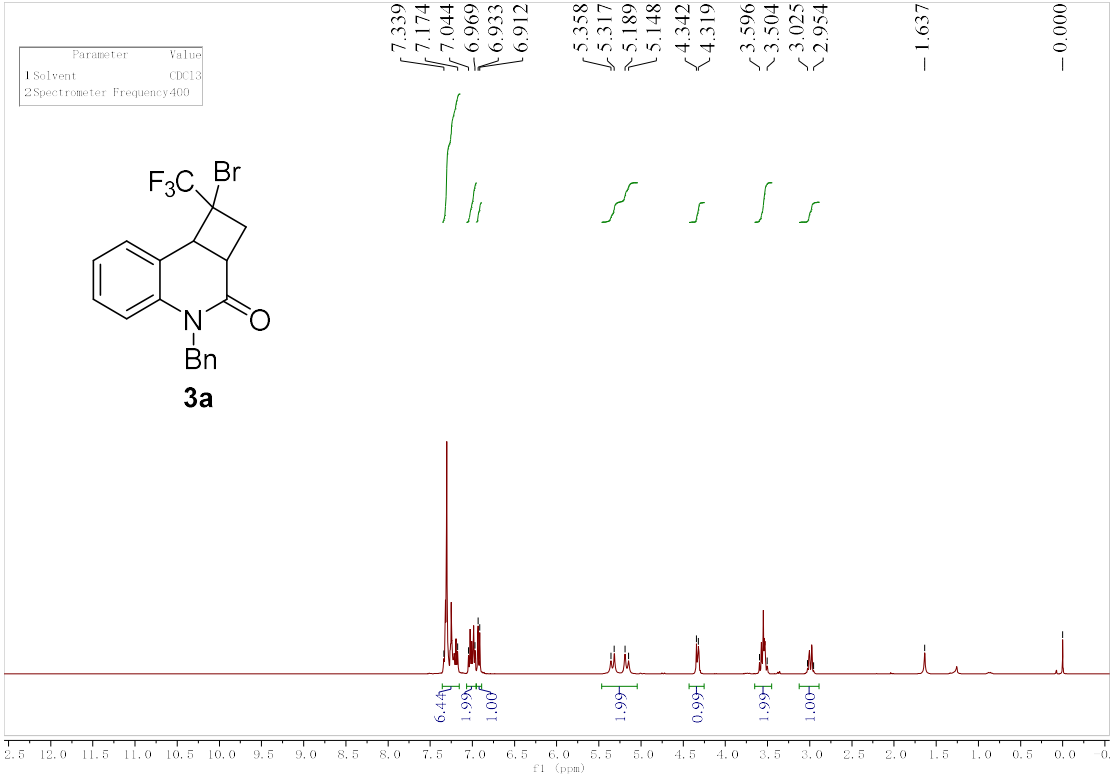
-70.857
-101.552
Bn
N
O
F
F3C
O
N
Bn
6a
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 400
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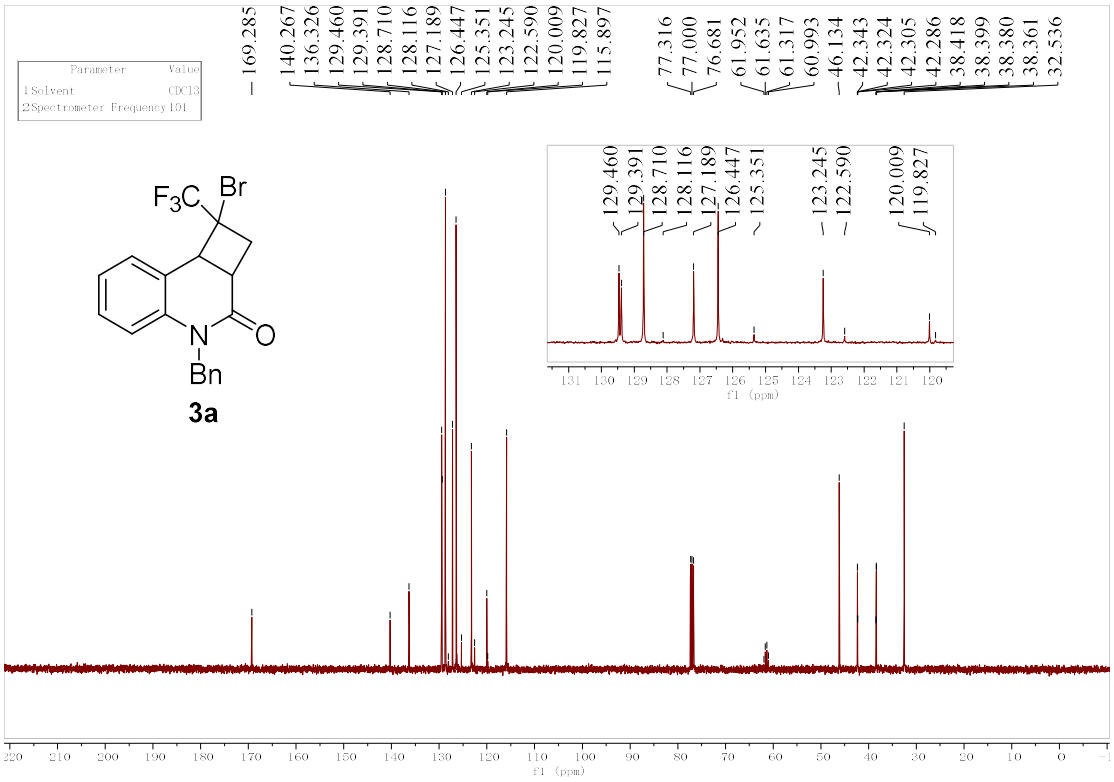
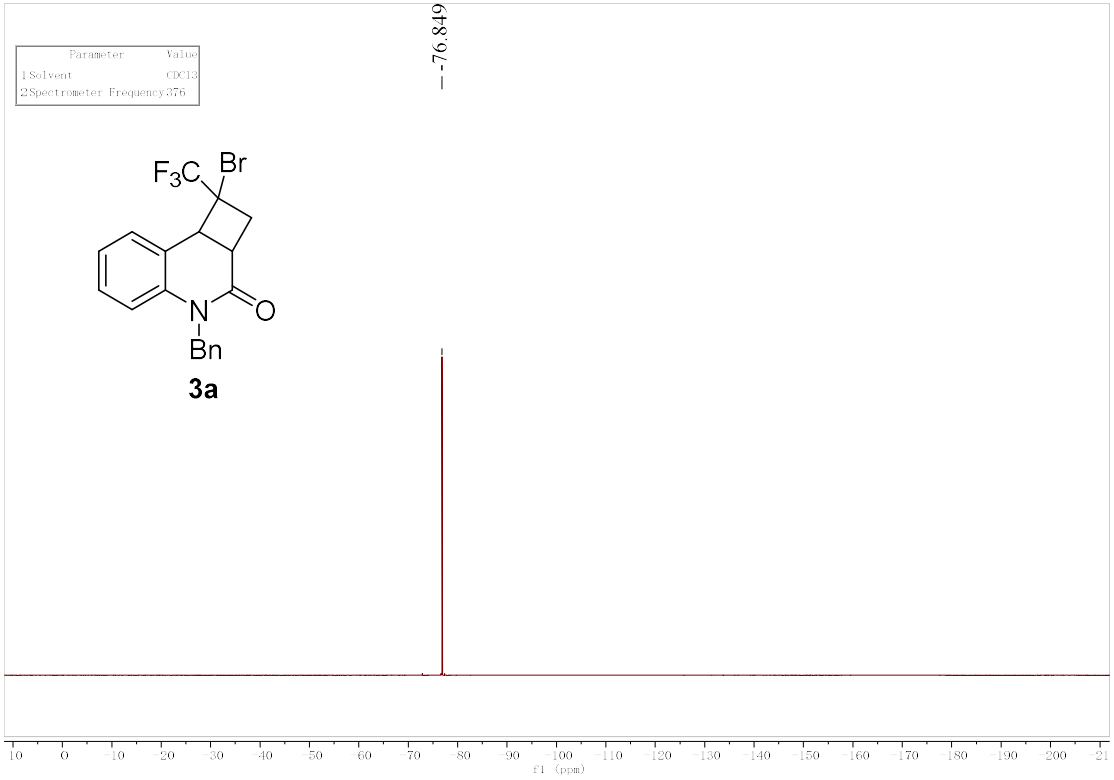
7.174
7.044
6.969
6.933
6.912
5.358
5.317
5.189
5.148
4.342
4.319
3.596
3.504
3.025
2.954
1.637
0.000
F3C
Br
N
O
Bn
3a
6.44
1.99
1.00
1.99
0.99
1.99
1.00
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 101
169.285
140.267
136.326
129.460
129.391
128.710
128.116
127.189
126.447
125.351
123.245
122.590
120.009
119.827
115.897
77.316
77.000
76.681
61.952
61.635
61.317
60.993
46.134
42.343
42.324
42.305
42.286
38.418
38.399
38.380
38.361
32.536
F3C
Br
N
O
Bn
3a
f1 (ppm)


Parameter Value
1 Solvent CDCl3
2 Spectrometer Frequency 376
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F3C
Br
N
O
Bn
3a
f1 (ppm)

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