Supporting Information

Amination of 3-Substituted Benzofuran-2(*3H*)-ones Triggered by Single Electron Transfer

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General Information: Commercial reagents were used as received, unless otherwise stated. The purchased solvents were dried over molecular sieves and degassed by three cycles of freeze-pump-thaw before used in the reaction. ^{1}H and ^{13}C NMR were recorded on a 400 spectrometer. Chemical shifts are reported in ppm from tetramethylsilane with the solvent resonance as the internal standard. The following abbreviations were used to designate chemical shift mutiplicities: s= singlet, d= doublet, t= triplet, q= quartet, h= heptet, m= multiplet, br= broad. All first-order splitting patterns were assigned on the basis of the appearance of the multiplet. Splitting patterns that could not be easily interpreted are designated as multiplet (m) or broad (br). Mass spectra were obtained using matrix-assisted laser desorption/ionization (MALDI) mass spectrometer.

General Experimental procedure

An oven-dried Schlenk tube was charged with benzofuranone **1** (0.2 mmol), dinitrobenzenesulfonic carbamate **2** (0.1 mmol), 20mg 4 Å molecular sieves and 0.4 mL solvent. The tube was degassed through freeze pump thaw (x3), and refilled with argon. The reaction mixture was cooled to 0 ^{o}C, and base (0.3 mmol) was added. After the reaction is completed, the crude mixture was purified by column chromatography (ethyl acetate: petroleum ether =1:10) to give the product **3**.

Single Crystal X-ray Diffraction Data of compound 3t

The structure of compound of **3t** was assigned by X-ray crystallographic analysis. CCDC 1444373 contains the supplementary crystallographic data. The data can be obtained free of charge from the Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

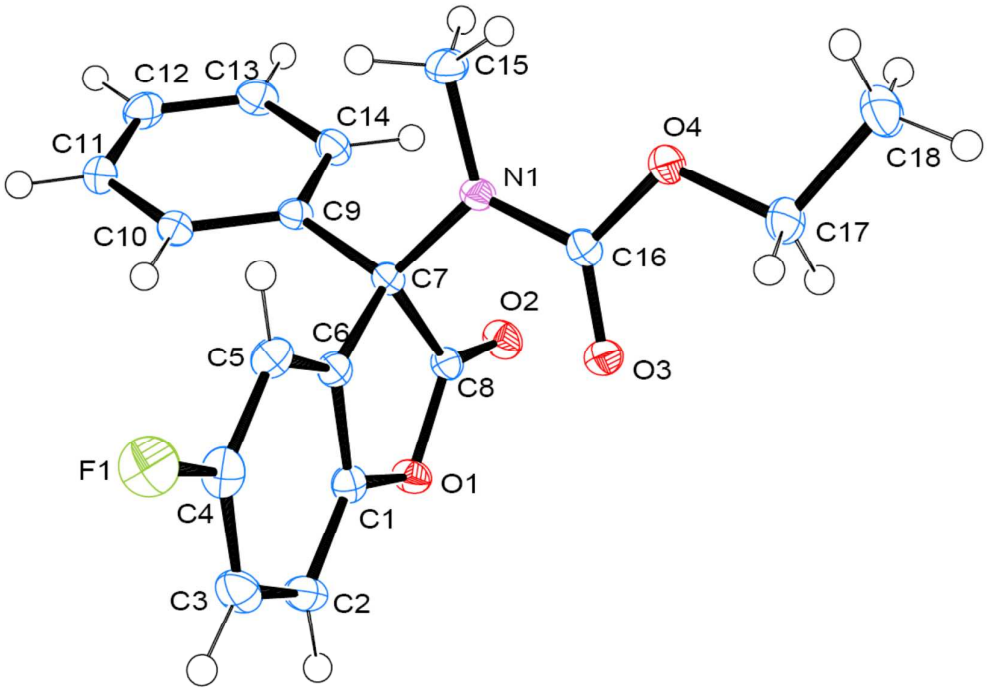


Figure S1. X-ray crystal structure of **3t**.

Crystal data for **3t**: CCDC 1444373

Empirical formula	$C_{18}H_{16}FNO_4$
Formula weight	329.32
Temperature	113(2) K
Wavelength	0.71073 Å
Crystal system, space group	Monoclinic, P2(1)/n
Unit cell dimensions	a = 11.161(4) Å alpha = 90 deg.
	b = 9.295(2) Å beta = 94.060(6) deg.
	c = 15.244(5) Å gamma = 90 deg.

Volume	1577.5(8) A^3
Z, Calculated density	4, 1.387 Mg/m^3
Absorption coefficient	0.106 mm^-1
F(000)	688
Crystal size	0.20 x 0.18 x 0.12 mm
Theta range for data collection	3.10 to 27.54 deg.
Limiting indices	-14<=h<=14, -12<=k<=10, -19<=l<=19
Reflections collected / unique	15688 / 3604 [R(int) = 0.0262]
Completeness to theta = 27.54	99.1 %
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.9874 and 0.9791
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	3604 / 0 / 219
Goodness-of-fit on F^2	1.026
Final R indices [I>2sigma(I)]	R1 = 0.0334, wR2 = 0.0856
R indices (all data)	R1 = 0.0374, wR2 = 0.0886
Largest diff. peak and hole	0.369 and -0.192 e.A^-3

Cyclic Voltammogram

Cyclic voltammetry (CV) experiments were determined with BAS-100B electrochemical apparatus in acetonitrile (AN) under an argon atmosphere at 298K. A standard three-electrode cell was used. n-Bu_4NPF_6 (0.1 M) in AN was used as supporting electrolyte. The ferrocenium/ferrocene redox couple was taken as the internal standard.

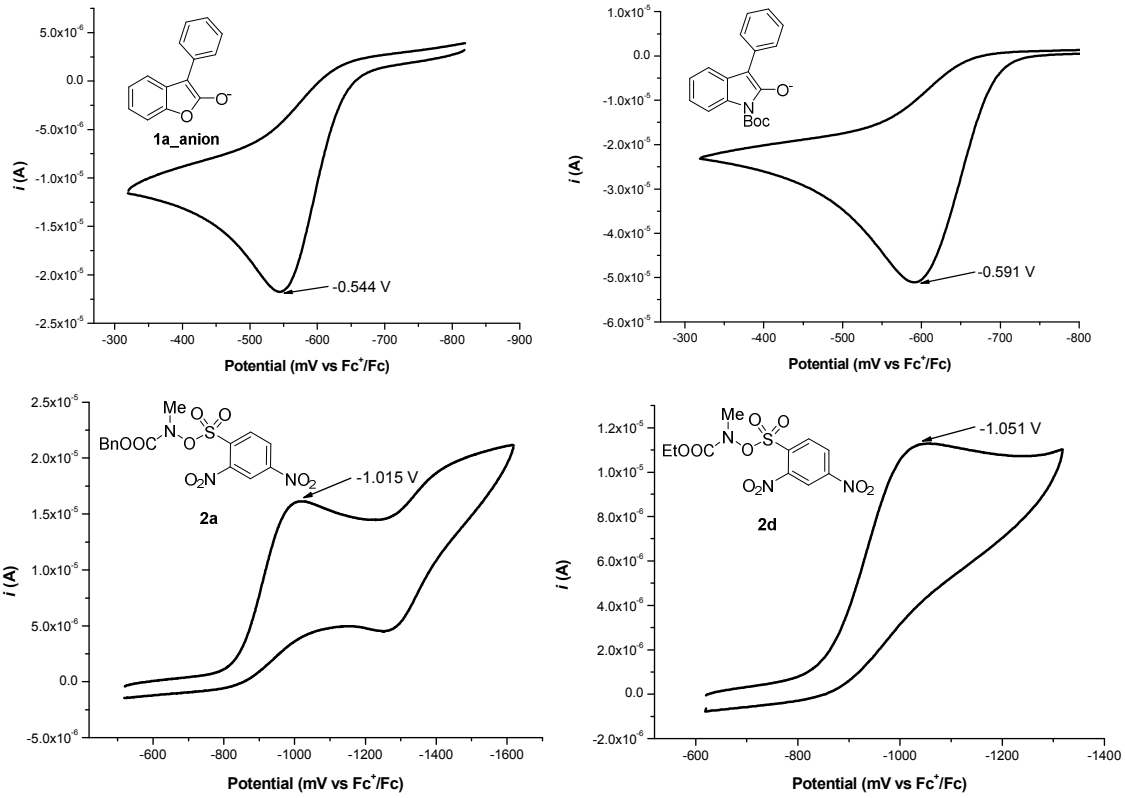
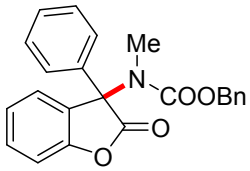


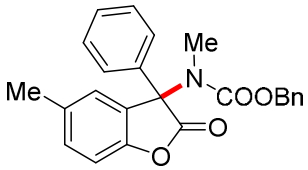
Figure S2. Cyclic voltammogram in acetonitrile.

Analytical data of all compounds



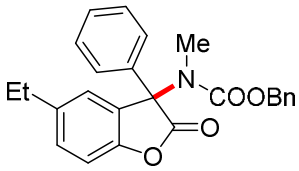
benzyl methyl(2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)carbamate (**3a**)

The title compound was prepared according to the general procedure as a white solid in 78% yield (29.1 mg). Mp: 118-120 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52–7.05 (m, 14H), 5.13 (d, *J* = 12.2 Hz, 1H), 4.99 (d, *J* = 12.1 Hz, 1H), 2.89 (s, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.6 , 156.5 , 153.6 , 135.7 , 134.4 , 130.4 , 129.5 , 129.1 , 129.0 , 128.5 , 128.3 , 128.2 , 127.4 , 124.5 , 124.4 , 111.4 , 68.5 , 68.3 , 33.3 ppm. HRMS: calcd. for $[C_{23}H_{19}NNaO_4]^+$ 396.1206, found 396.1209.



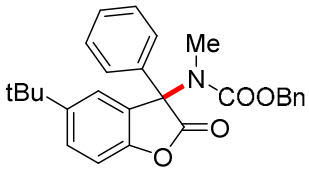
benzyl methyl(5-methyl-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)carbamate (**3b**)

The title compound was prepared according to the general procedure as a white solid in 69% yield (26.7 mg). Mp: 93-96 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69–7.04 (m, 12H), 6.92 (s, 1H), 5.06 (d, *J* = 12.0 Hz, 1H), 4.91 (d, *J* = 12.4 Hz, 1H), 2.80 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.1, 155.7, 150.7, 134.9, 133.7, 133.3, 130.0, 129.7, 128.3, 128.1, 127.7, 127.5, 127.4, 126.4, 124.1, 110.2, 67.9, 67.4, 32.6, 20.6 ppm. HRMS: calcd. for $[C_{24}H_{21}NNaO_4]^+$ 410.1363, found 410.1368.



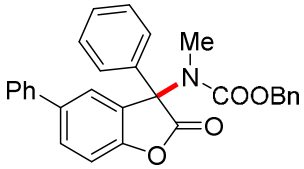
benzyl (5-ethyl-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3c**)

The title compound was prepared according to the general procedure as a white solid in 76% yield (30.5 mg). Mp: 65-67 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62–7.19 (m, 12H), 7.05 (s, 1H), 5.16 (d, *J* = 12.2 Hz, 1H), 5.02 (d, *J* = 12.5 Hz, 1H), 2.91 (s,3H), 2.72 (q, *J* = 7.6 Hz, 2H), 1.29 (t, *J* = 7.6 Hz, 3H) ppm.^{13}C NMR (101 MHz, $CDCl_3$) δ 173.1, 155.2, 150.5, 139.5, 134.6, 133.5, 128.6, 128.4, 128.0, 127.8, 127.4, 127.1, 127.0, 122.6, 110.0, 67.6, 67.1, 32.3, 27.6, 14.9 ppm. HRMS: calcd. for $[C_{25}H_{23}NNaO_4]^+$ 424.1519, found 424.1525.



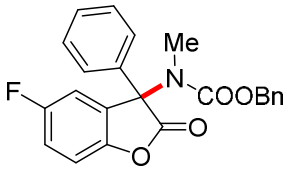
benzyl (5-(tert-butyl)-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3d**)

The title compound was prepared according to the general procedure as a colorless oil in 60% yield (25.8 mg). Mp: 77-80 °C. ^{1}H NMR (400 MHz,$CDCl_3$) δ 7.60–7.00 (m, 13H), 5.18 (d, *J* = 12.3 Hz, 1H), 5.07 (s, 1H), 2.95 (s, 3H), 1.39 (s, 9H) ppm.^{13}C NMR (101 MHz, $CDCl_3$) δ 172.9, 155.4, 150.1, 134.7, 133.6, 128.3, 127.9, 127.9, 127.4, 127.1, 126.9, 126.2, 120.0, 109.6, 67.7, 67.0, 33.7, 30.6 ppm. HRMS: calcd. for [$C_{27}H_{27}NNaO_4$]$^+$ 452.1832, found 452.1838.



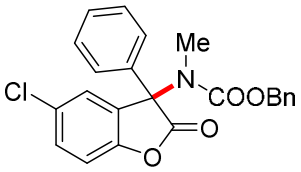
benzyl methyl(2-oxo-3,5-diphenyl-2,3-dihydrobenzofuran-3-yl)carbamate (**3e**)

The title compound was prepared according to the general procedure as a white solid in 71% yield (31.9 mg). Mp: 73-75 °C. ^{1}H NMR (400 MHz,$CDCl_3$) δ 7.70–7.54 (m, 5H), 7.52–7.43 (m, 3H), 7.42–7.35 (m, 4H), 7.33–7.12 (m, 6H), 5.16 (d, *J* = 12.2 Hz, 1H), 5.00 (d, *J* = 12.3 Hz, 1H), 2.93 (s,3H) ppm.^{13}C NMR (101 MHz, $CDCl_3$) δ 172.6, 155.4, 151.9, 139.3, 137.0, 134.5, 133.1, 128.5, 128.2, 127.9, 127.4, 127.2, 127.1, 126.5, 126.1, 122.1, 110.6, 67.6, 67.3, 32.2 ppm. HRMS: calcd. for [$C_{29}H_{23}NNaO_4$]$^+$ 472.1519, found 472.1522.



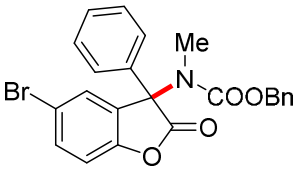
benzyl (5-fluoro-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3f**)

The title compound was prepared according to the general procedure as a white solid in 40% yield (15.7 mg). Mp: 150-152 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61–7.20 (m, 10H), 7.14 (d, *J*=7.1 Hz, 3H), 5.18 (d, *J* = 12.1 Hz, 1H), 5.04 (d, *J* = 12.2 Hz, 1H), 2.90 (s, 3H) ppm.^{13}C NMR (101 MHz, $CDCl_3$) δ 172.4 , 158.5 (d, *J*=243.4 Hz, 1C), 155.5 , 148.3 , 132.6, 132.6 , 128.7 , 128.3 , 127.8 , 127.5 , 127.3 , 127.2 , 116.0 (d, *J* = 24.2 Hz, 1C), 111.5 (d, *J* = 8.5 Hz, 1C), 110.9 (d, *J* = 25.2 Hz, 1C), 67.9 , 67.4 , 32.2 ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -117.3 (s, 1F) ppm. HRMS: calcd. for [$C_{23}H_{18}FNNaO_4$]$^+$ 414.1112, found 414.1118.



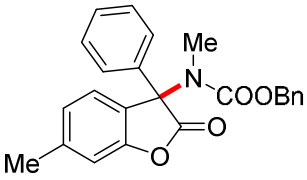
benzyl (5-chloro-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3g**)

The title compound was prepared according to the general procedure as a white solid in 43% yield (17.5 mg). Mp: 106-108 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62–7.17 (m, 12H), 7.07 (s, 1H), 5.16 (d, *J* = 12.1 Hz, 1H), 5.01 (d, *J* = 12.3 Hz, 1H), 2.88 (s, 3H) ppm.^{13}C NMR (101 MHz, $CDCl_3$) δ 173.0, 156.5, 152.0, 135.4, 133.5, 130.4, 129.8, 129.6, 129.1, 128.9, 128.6, 128.4, 128.2, 124.6, 112.7, 68.6, 68.5, 33.2 ppm. HRMS: calcd. for $[C_{23}H_{18}ClNNaO_4]^+$ 430.0817, found 430.0820.



benzyl (5-bromo-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3h**)

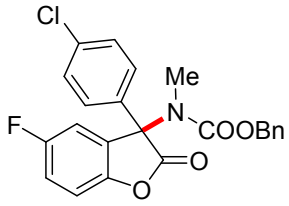
The title compound was prepared according to the general procedure as a colorless oil in 60% yield (27.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77–7.24 (m, 12H), 7.05 (s, 1H), 5.19 (d, *J* = 12.0 Hz, 1H), 5.04 (d, *J* = 12.4 Hz, 1H), 2.90 (s, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.0, 156.2, 151.8, 133.4, 133.3, 129.8, 129.1, 128.9, 128.5, 128.4, 128.20, 127.4, 116.8, 113.1, 68.5, 68.4, 33.2 ppm. HRMS: calcd. for $[C_{23}H_{18}BrNNaO_4]^+$ 474.0311, found 474.0315.



benzyl methyl(6-methyl-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)carbamate (**3i**)

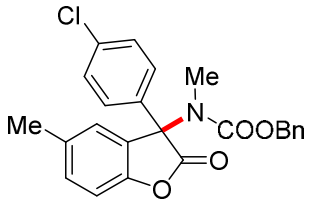
The title compound was prepared according to the general procedure as a white solid in 72% yield (27.9 mg). Mp: 52-53 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 2H), 7.44–7.23 (m, 9H), 7.09 (d, *J*= 7.6 Hz, 1H), 6.98 (s, 1H), 5.19 (d, *J* = 12.3 Hz, 1H), 5.02 (d, *J* = 12.2 Hz, 1H), 2.91 (s, 3H), 2.46 (s, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.8, 156.3, 152.6, 139.9, 1346, 133.5, 128.3, 128.0, 127.8, 127.4, 127.1, 127.1,

124.0, 123.1, 111.0, 67.4, 67.1, 32.2, 20.8 ppm. HRMS: calcd. for $[C_{24}H_{21}NNaO_4]^+$ 410.1363, found 410.1368.



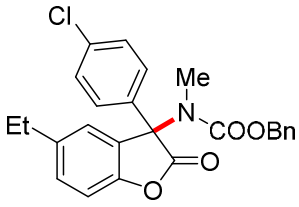
benzyl (3-(4-chlorophenyl)-5-fluoro-2-oxo-2,3-dihydrobenzofuran-3-yl)(methyl) carbamate (**3j**)

The title compound was prepared according to the general procedure as a white solid in 67% yield (28.5 mg). Mp: 110-113 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49–7.29 (m, 7H), 7.29–7.19 (m, 2H), 7.17–7.03 (m, 3H), 5.14 (d, *J* = 12.1 Hz, 1H), 5.00 (d, *J* = 12.1 Hz, 1H), 2.87 (s, 3H) ppm.^{13}C NMR (101 MHz, $CDCl_3$) δ 173.0, 159.5 (d, *J*=241.4 Hz, 1C), 156.3, 149.4, 136.1, 132.3, 130.2, 129.3, 128.5, 128.4, 128.2, 117.3 (d, *J*=24.2 Hz, 1C), 112.6(d, *J*=8.1 Hz, 1C), 111.7 (d, *J*=25.3 Hz, 1C), 68.5, 68.4, 33.2 ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -116.9 (s, 1F) ppm. HRMS: calcd. for $[C_{23}H_{18}ClFNO_4]^+$ 426.0908, found 426.0890.



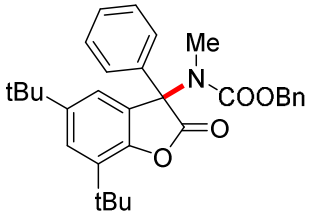
benzyl (3-(4-chlorophenyl)-5-methyl-2-oxo-2,3-dihydrobenzofuran-3-yl)(methyl) carbamate (**3k**)

The title compound was prepared according to the general procedure as a white solid in 90% yield (38.0 mg). Mp: 103-105 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54–7.16 (m, 11H), 7.06 (s, 1H), 5.18 (d, *J* = 12.2 Hz, 1H), 5.03 (d, *J* = 12.3 Hz, 1H), 2.93 (s, 3H), 2.46 (s, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.4, 155.3, 150.4, 134.7, 134.5, 133.2, 132.1, 130.0, 129.3, 128.1, 127.43, 127.2, 127.1, 123.5, 110.1, 67.5, 67.1, 32.2, 20.3 ppm. HRMS: calcd. for $[C_{24}H_{20}ClNNaO_4]^+$ 444.0973, found 444.0978.



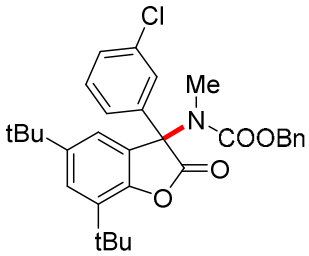
benzyl (3-(4-chlorophenyl)-5-ethyl-2-oxo-2,3-dihydrobenzofuran-3-yl)(methyl) carbamate (**3l**)

The title compound was prepared according to the general procedure as a white solid in 88% yield (38.4 mg). Mp: 49-50 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49–7.20 (m, 10H), 7.17 (s, 1H), 7.04 (s, 1H), 5.17 (d, J = 12.2 Hz, 1H), 5.03 (d, J = 12.3 Hz, 1H), 2.93 (s, 3H), 2.74 (q, J = 7.6 Hz, 2H), 1.31 (t, J = 7.6 Hz, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.5, 156.3, 151.5, 140.8, 135.7, 135.5, 133.2, 130.4, 129.9, 129.2, 128.5, 128.3, 128.1, 123.5, 111.2, 68.3, 68.2, 33.36, 28.6, 15.9 ppm. HRMS: calcd. for $[C_{25}H_{22}ClNNaO_4]^+$ 458.1130, found 458.1132.



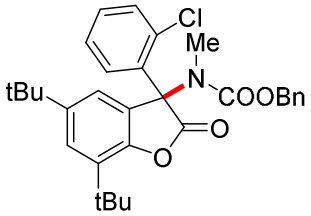
benzyl (5,7-di-tert-butyl-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl) carbamate (**3m**)

The title compound was prepared according to the general procedure as an orange solid in 41% yield (19.9 mg). Mp: 103-105 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60–7.36 (m, 6H), 7.35-7.14 (s, 6H), 5.20 (d, J = 12.4 Hz, 1H), 5.04 (s, 1H), 2.94 (s, 3H), 1.40 (s, 18H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.9, 155.2, 146.1, 134.9, 134.1, 132.6, 128.2, 128.0, 127.8, 127.4, 126.9, 126.6, 123.2, 117.5, 67.1, 66.8, 33.9, 33.3, 32.6, 30.7, 28.5 ppm. HRMS: calcd. for $[C_{31}H_{35}NNaO_4]^+$ 508.2458, found 508.2462.



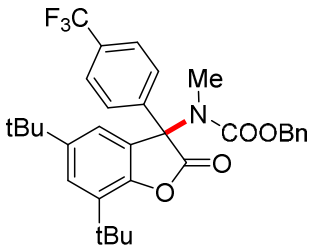
benzyl (5,7-di-tert-butyl-3-(3-chlorophenyl)-2-oxo-2,3-dihydrobenzofuran-3-yl) (methyl)carbamate (**3n**)

The title compound was prepared according to the general procedure as an orange oil in 74% yield (38.5 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43–6.99 (m, 11H), 5.06 (d, *J* = 12.4 Hz, 1H), 4.92 (d, *J* = 12.5 Hz, 1H), 2.82 (s, 3H), 1.28 (s, 18H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.3, 155.1, 148.1, 146.4, 136.3, 134.7 133.9, 132.8, 129.0, 128.5, 128.1, 127.4, 127.0, 126.6, 126.1, 123.6, 117.5, 67.0, 66.8, 33.9, 33.4, 32.5, 30.4, 28.5 ppm. HRMS: calcd. for $[C_{31}H_{34}ClNNaO_4]^+$ 542.2069, found 542.2072.



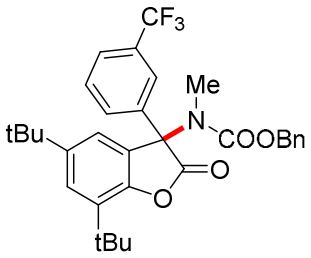
benzyl (5,7-di-tert-butyl-3-(2-chlorophenyl)-2-oxo-2,3-dihydrobenzofuran-3-yl) (methyl)carbamate (**3o**)

The title compound was prepared according to the general procedure as an orange oil in 80% yield (41.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64–7.06 (m, 11H), 5.16 (d, *J* = 12.4 Hz, 1H), 5.01 (d, *J* = 12.6 Hz, 1H), 2.91 (s, 3H), 1.37 (s, 18H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.4, 156.1, 149.2, 147.5, 137.3, 135.8, 134.9, 133.8, 130.1, 129.6, 129.2, 128.5, 128.1, 127.7, 127.2, 124.6, 118.5, 68.0, 67.8, 34.9, 34.4, 33.5, 31.7, 29.6 ppm. HRMS: calcd. for $[C_{31}H_{34}ClNNaO_4]^+$ 542.2069, found 542.2068.



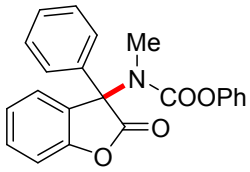
benzyl (5,7-di-tert-butyl-2-oxo-3-(4-(trifluoromethyl)phenyl)-2,3-dihydrobenzofuran-3-yl)methyl)carbamate (**3p**)

The title compound was prepared according to the general procedure as an orange oil in 65% yield (36.0 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69–7.40 (m, 4H), 7.34 (s, 1H), 7.28–6.91 (m, 6H), 5.08 (d, *J* = 12.4 Hz, 1H), 4.93 (d, *J* = 12.7 Hz, 1H), 2.83 (s, 3H), 1.28 (s, 18H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.1, 155.2, 148.2, 146.6, 138.5, 134.7, 132.9, 130.3 (d, *J*=32.7Hz, 2C), 128.3, 127.4, 127.1, 126.7, 125.3 (q, *J*=272Hz, 1C), 124.8 (d, *J*=3.8Hz, 2C), 123.7, 121.4, 117.5, 67.1, 67.1, 33.9, 33.4, 32.6, 30.6, 28.5 ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.8 (s, 3F) ppm. HRMS: calcd. for $[C_{32}H_{34}F_3NNaO_4]^+$ 576.2332, found 576.2338.

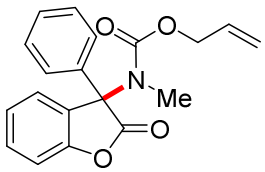


benzyl (5,7-di-tert-butyl-2-oxo-3-(3-(trifluoromethyl)phenyl)-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3q**)

The title compound was prepared according to the general procedure as an orange solid in 75% yield (41.5 mg). Mp: 95-98 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86–7.58 (m, 3H), 7.55–7.50 (m, 1H), 7.44 (d, *J* = 2.1 Hz, 1H), 7.34–7.13 (m, 6H), 5.16 (d, *J* = 12.3 Hz, 1H), 5.03 (d, *J* = 12.5 Hz, 1H), 2.89 (s, 3H), 1.37 (s, 18H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.3, 156.3, 149.2, 147.7, 136.6, 135.7, 134.0, 132.4, 131.4 (d, *J*=32.9 Hz, 1C), 126.2 (d, *J*=3.8Hz, 1C), 129.5, 128.5, 128.2, 127.8, 126.2, 126.2, 125.8 (q, *J*=272Hz, 1C), 124.8, 118.7, 68.2, 67.9, 34.9, 34.5, 33.6, 31.7, 29.5 ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.7 (s, 3F) ppm. HRMS: calcd. for $[C_{32}H_{34}F_3NNaO_4]^+$ 576.2332, found 576.2338.

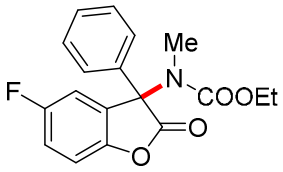


phenyl methyl(2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)carbamate (**3r**)
The title compound was prepared according to the general procedure as a white solid in 50% yield (18.0 mg). Mp: 118-121 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 – 7.38 (m, 7H), 7.31-7.27 (m, 4H), 7.15 (t, *J* = 8.5 Hz, 2H), 7.01 (s, 1H), 3.06 (s, 3H) ppm; ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.5, 152.7 , 149.8 , 145.7 , 133.2 , 129.6, 128.8 , 128.4 , 128.2 , 128.2 , 127.4 , 124.8, 123.6, 120.5, 110.7, 67.8, 32.9 ppm. HRMS: calcd. for $[C_{22}H_{18}NO_4]^+$ 360.1236, found 360.1216.



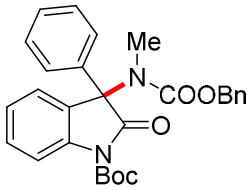
allyl methyl(2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)carbamate (**3s**)
The title compound was prepared according to the general procedure as a white solid in 46% yield (14.9 mg). Mp: 55-58 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51–7.33 (m, 6H), 7.27 (d, *J* = 9.1 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 1H), 5.83 (s, 1H), 5.30-5.11 (m,

2H), 4.58 (dd, J = 13.3, 5.5 Hz, 1H), 4.49 (dd, J = 13.3, 5.7 Hz, 1H), 2.90 (s, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.5, 155.1, 152.4, 133.3, 131.0, 129.3, 128.4, 128.0, 127.9, 123.4, 123.3, 117.1, 110.4, 67.6, 65.6, 32.0, 29.3 ppm. HRMS: calcd. for $[C_{19}H_{17}NNaO_4]^+$ 346.1050, found 346.1052.



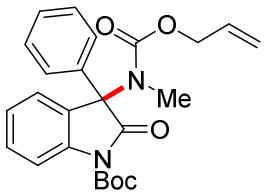
ethyl (5-fluoro-2-oxo-3-phenyl-2,3-dihydrobenzofuran-3-yl)(methyl)carbamate (**3t**)

The title compound was prepared according to the general procedure as a white solid in 53% yield (17.4 mg). Mp: 102-105 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63–7.00 (m, 8H), 4.21–3.97 (m, 2H), 2.85 (s, 3H), 1.19 (m, 3H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.5, 158.4 (d, J=243.5Hz, 1C), 155.5, 148.4, 132.8, 128.6, 128.0, 127.8, 115.9 (d, J=24.4 Hz, 1C), 111.3(d, J=8.2 Hz, 1C), 110.8 (d, J=25.3 Hz, 1C), 67.8, 61.7, 32.1, 13.2 ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -117.4 (s, 1F) ppm. HRMS: calcd. for $[C_{18}H_{16}FNNaO_4]^+$ 352.0956, found 352.0958.



tert-butyl 3-(((benzyloxy)carbonyl)(methyl)amino)-2-oxo-3-phenylindoline-1-carboxylate (**3u**)

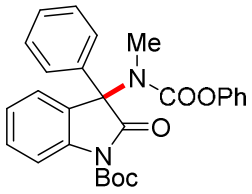
The title compound was prepared according to the general procedure as a white solid in 50% yield (23.6 mg). Mp: 45-48 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.61–7.12 (m, 13H), 5.21–4.88 (m, 2H), 2.95 (s, 3H), 1.59 (s, 9H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.8, 159.3, 156.2, 149.2, 135.1, 129.4, 129.1, 128.7, 128.4, 128.0, 127.8, 124.4, 123.8, 115.6, 84.1, 69.6, 67.9, 34.0, 28.1 ppm. HRMS: calcd. for $[C_{23}H_{20}N_2NaO_3]^+$ 395.1366, found 395.1347 (Boc group lost in HRMS analysis).



tert-butyl 3-(((allyloxy)carbonyl)(methyl)amino)-2-oxo-3-phenylindoline-1-carboxylate (**3v**)

The title compound was prepared according to the general procedure as a white solid in 63% yield (26.6 mg). Mp: 123-125 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, J =

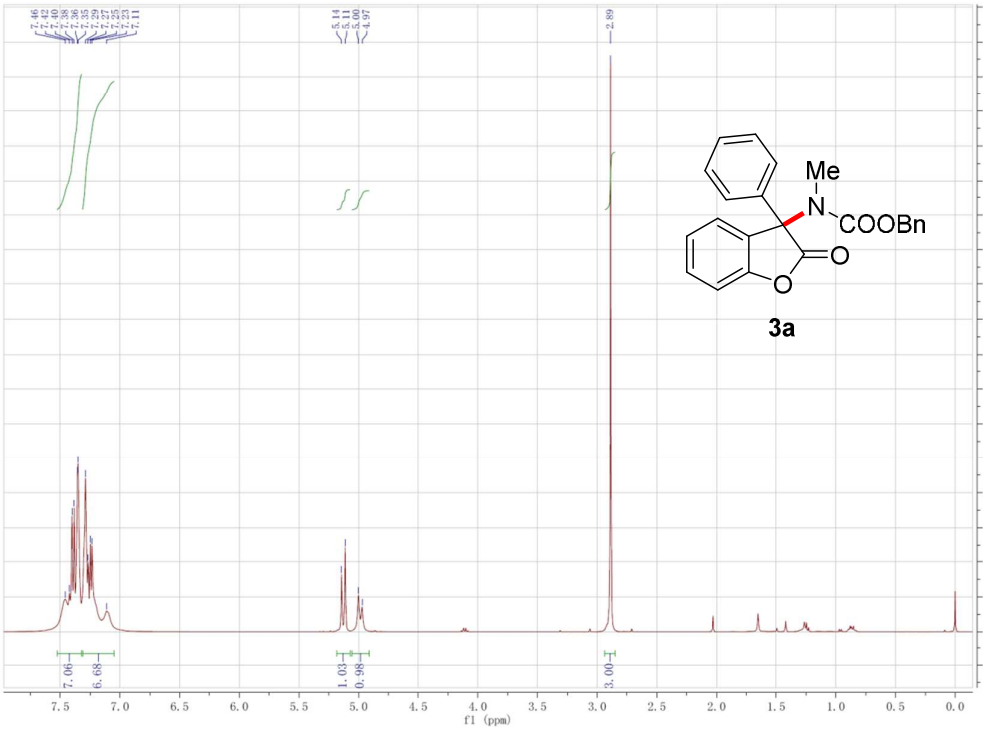
8.1 Hz, 1H), 7.62 – 7.11 (m, 8H), 5.81 (s, 1H), 5.16 (d, J = 10.8 Hz, 2H), 4.67 – 4.40 (m, 2H), 2.94 (s, 3H), 1.61 (s, 9H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.8, 157.5, 156.1, 149.3, 139.8, 135.2, 132.2, 129.4, 129.1, 128.7, 124.4, 123.8, 117.8, 115.5, 84.1, 69.5, 66.7, 34.0, 28.1 ppm. HRMS: calcd. for $[C_{24}H_{27}N_2O_5]^+$ 423.1920, found 423.1906.

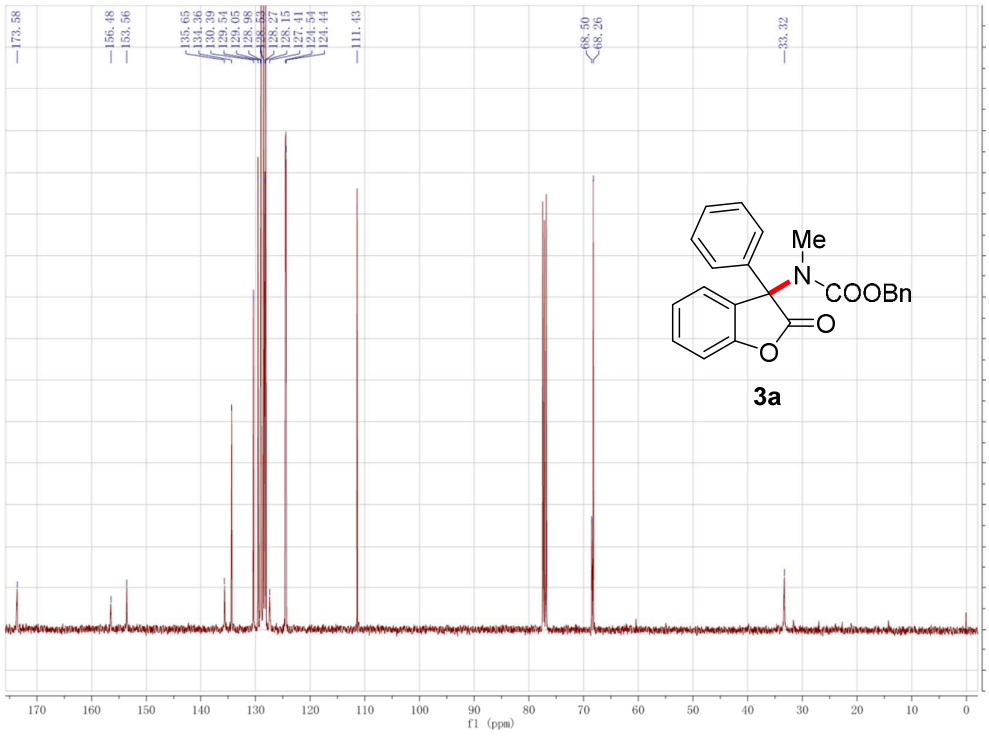


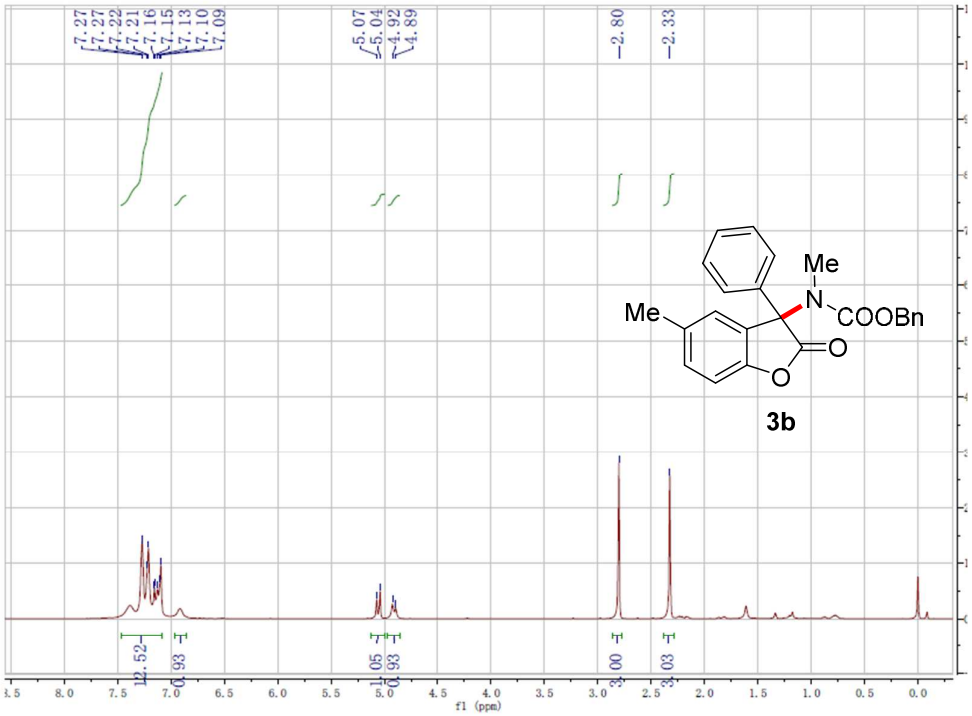
tert-butyl 3-(methyl(phenoxycarbonyl)amino)-2-oxo-3-phenylindoline-1-carboxylate (**3w**)

The title compound was prepared according to the general procedure as a white solid in 53% yield (24.3 mg). Mp: 44-47 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, J = 8.1 Hz, 1H), 7.50-7.25 (m, 10H), 7.17 (t, J = 7.4 Hz, 1H), 7.02 (s, 2H), 3.09 (s, 3H), 1.54 (s, 9H) ppm. ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.0, 154.6, 150.8, 149.2, 139.9, 134.9, 129.6, 129.24, 129.2, 128.8, 125.5, 124.5, 123.8, 121.5, 115.7, 84.2, 69.8, 34.6, 28.0 ppm. HRMS: calcd. for $[C_{27}H_{27}N_2O_5]^+$ 459.1920, found 459.1903.

NMR Spectrums



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2.33
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3.00
3.03
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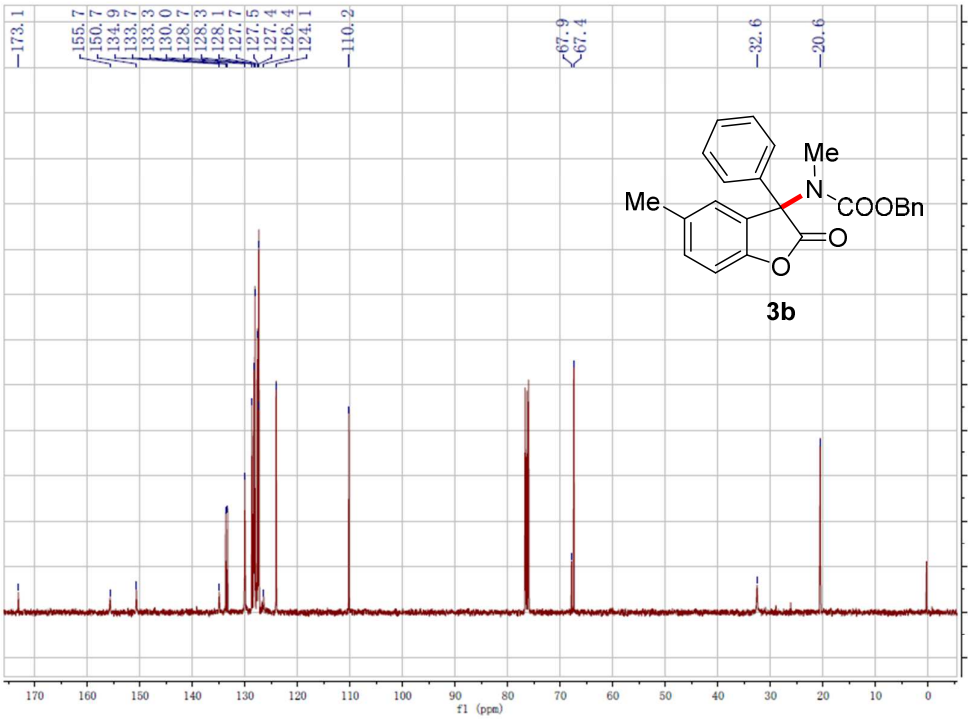
COOBn
Me
O
O
3b
f1 (ppm)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126.4
124.1
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20.6
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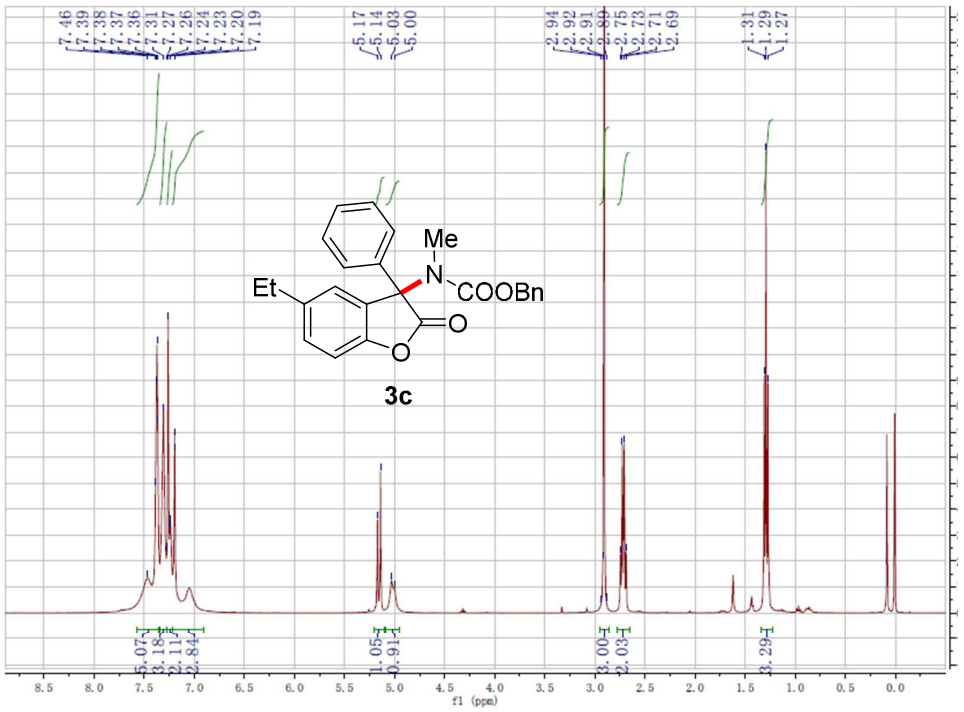
COOBn
Me
O
O
3b
f1 (ppm)


Me
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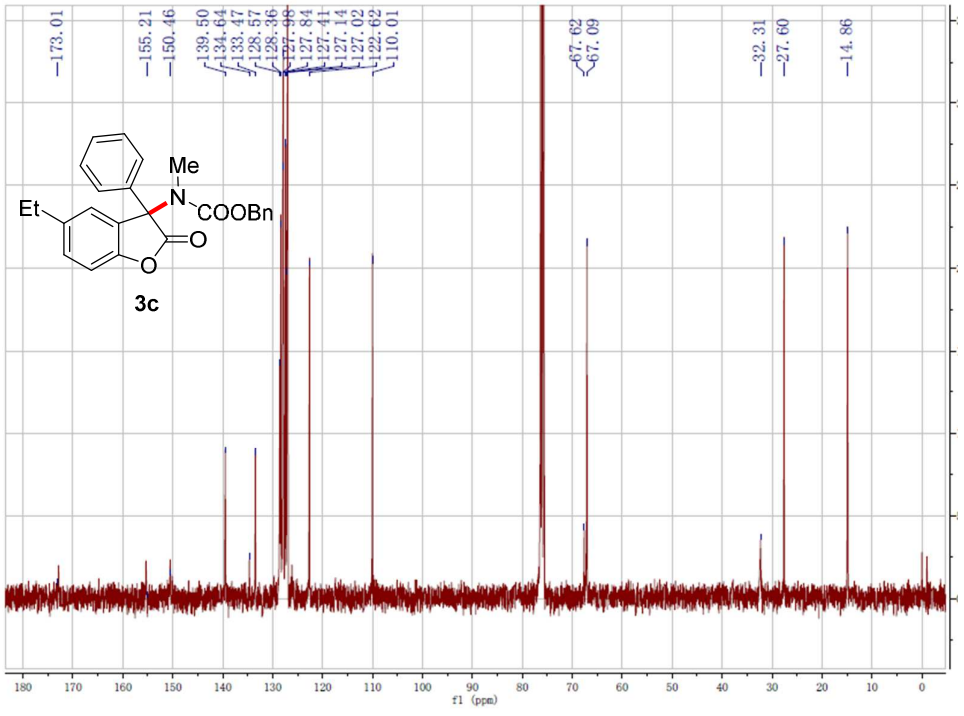
COOBn
Et
O
O
3c
f1 (ppm)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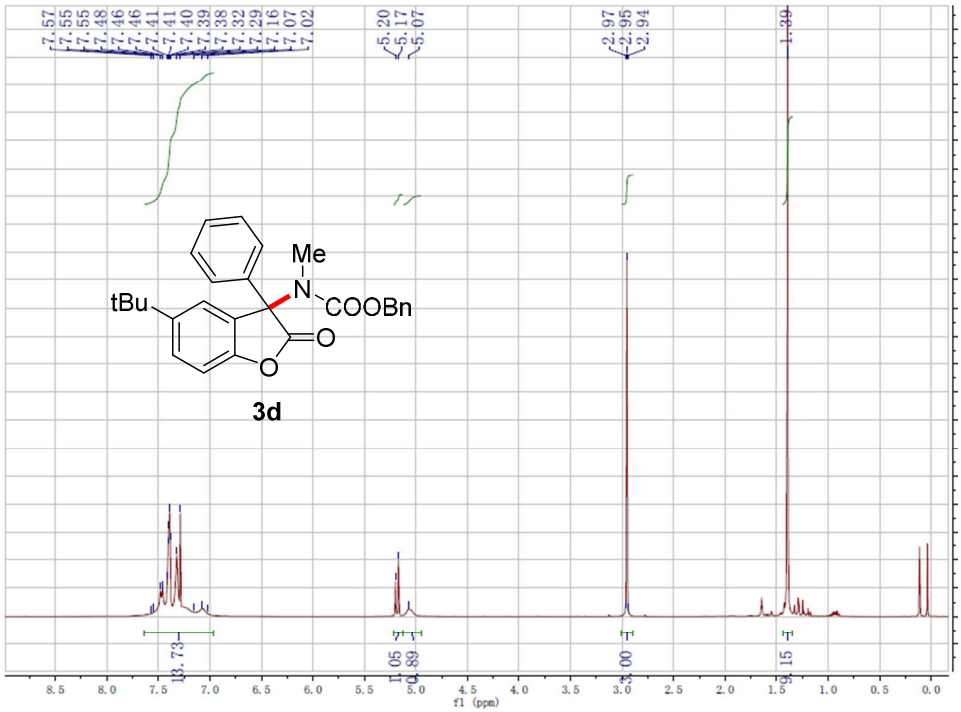
f1 (ppm)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7.07
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5.17
5.07
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2.95
2.94
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3d
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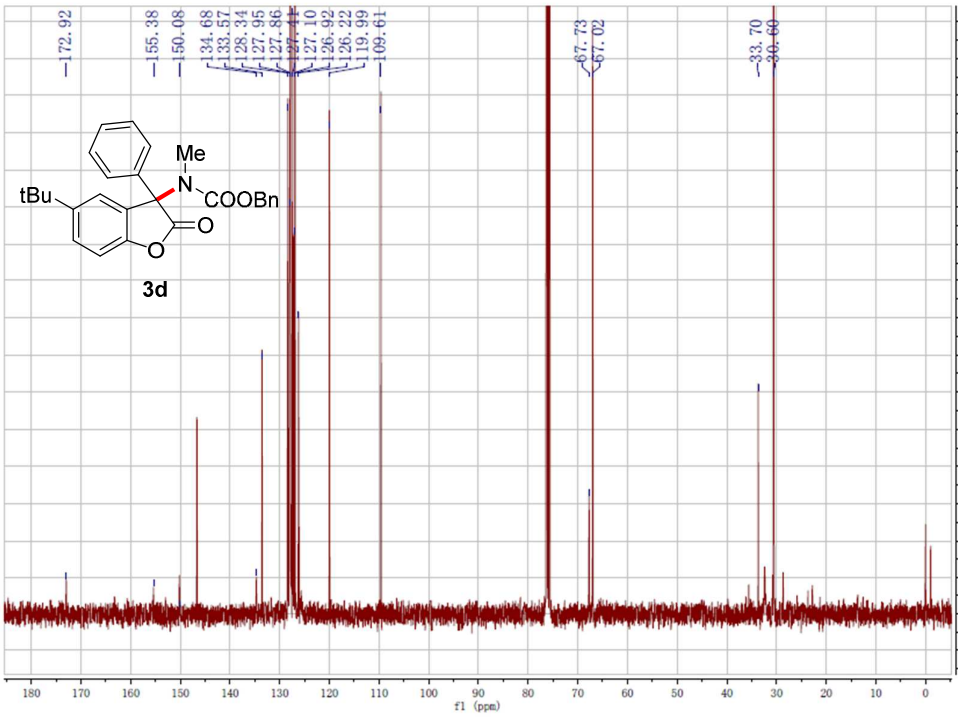
f1 (ppm)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COOBn
tBu
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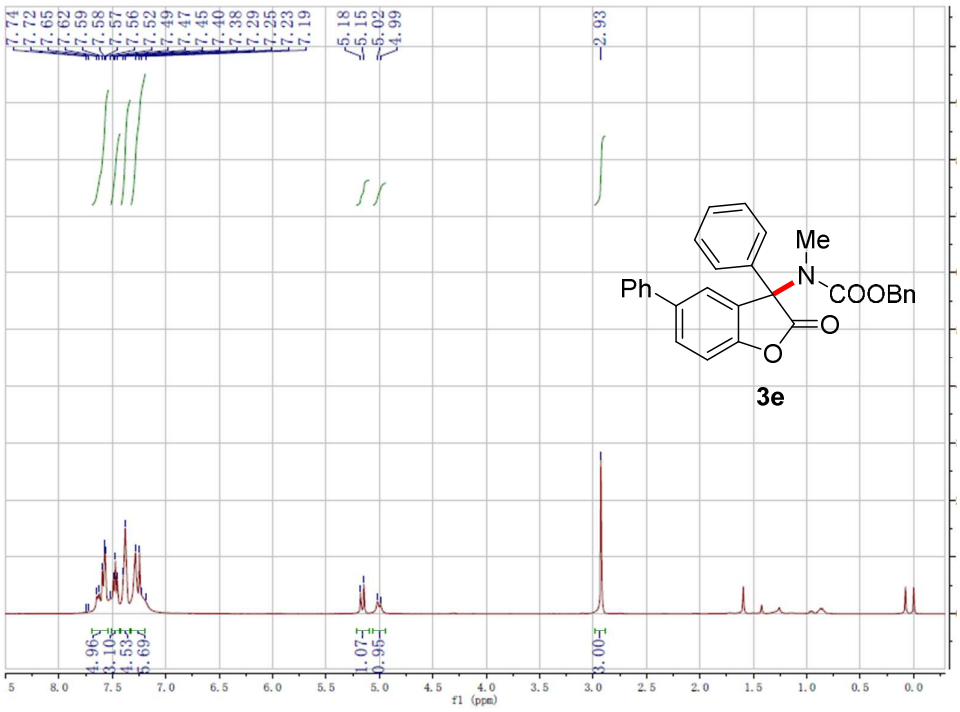
O
3d
f1 (ppm)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7.19
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5.15
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4.96
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Ph
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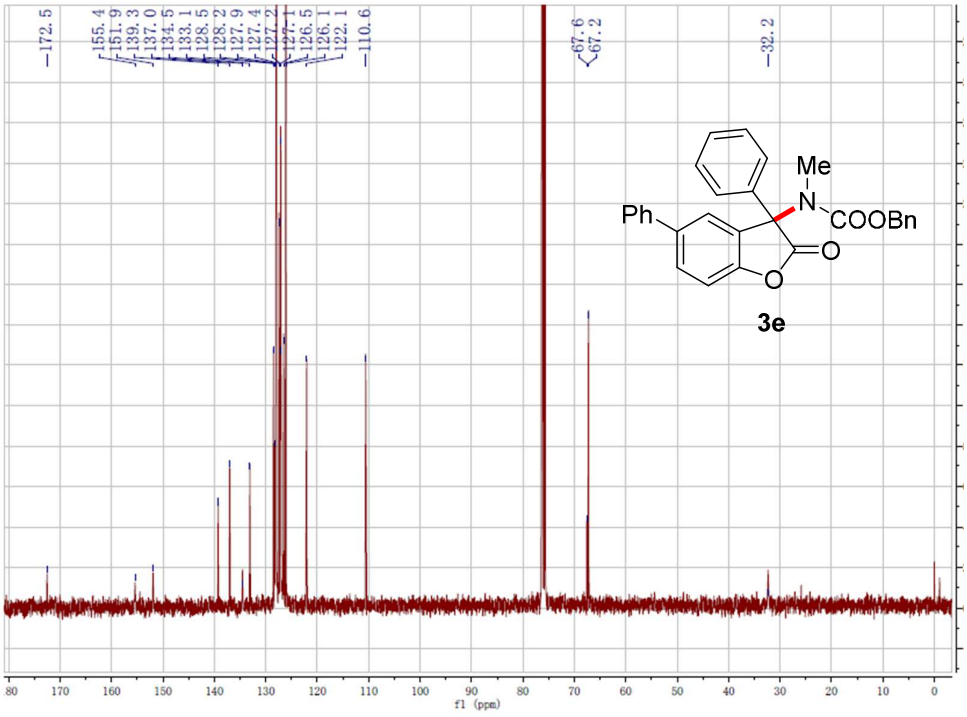
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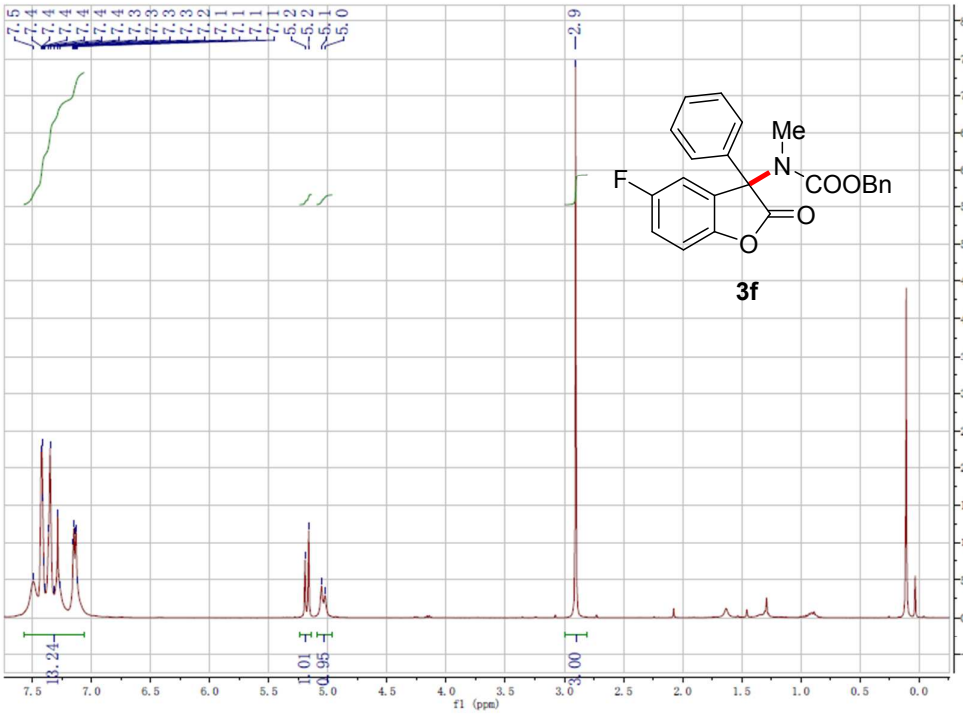
3e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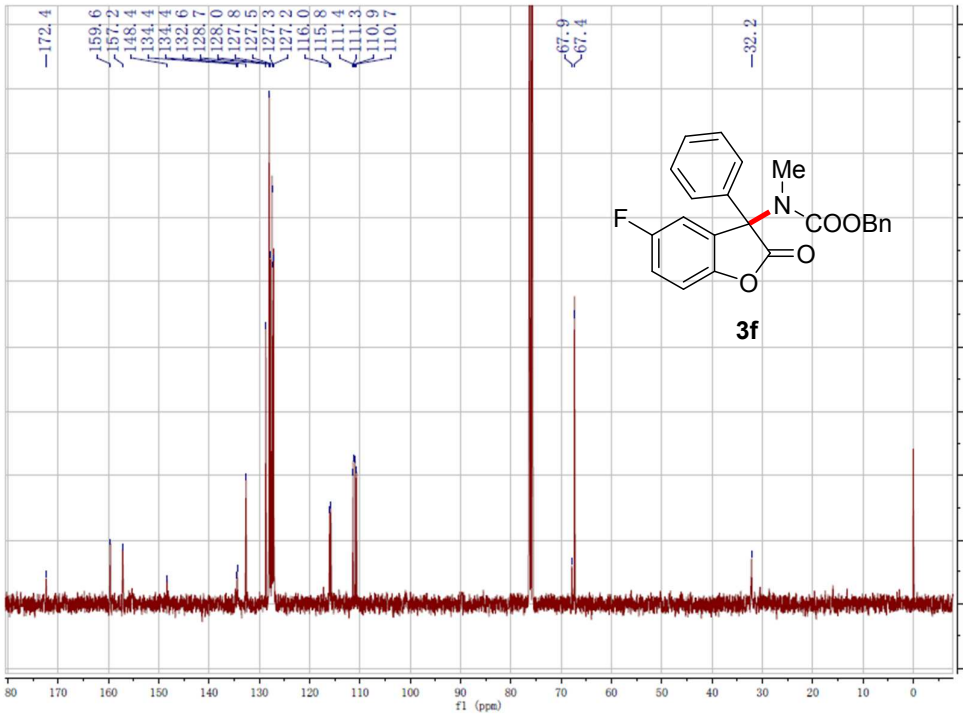
COOBn
F
O
O
3f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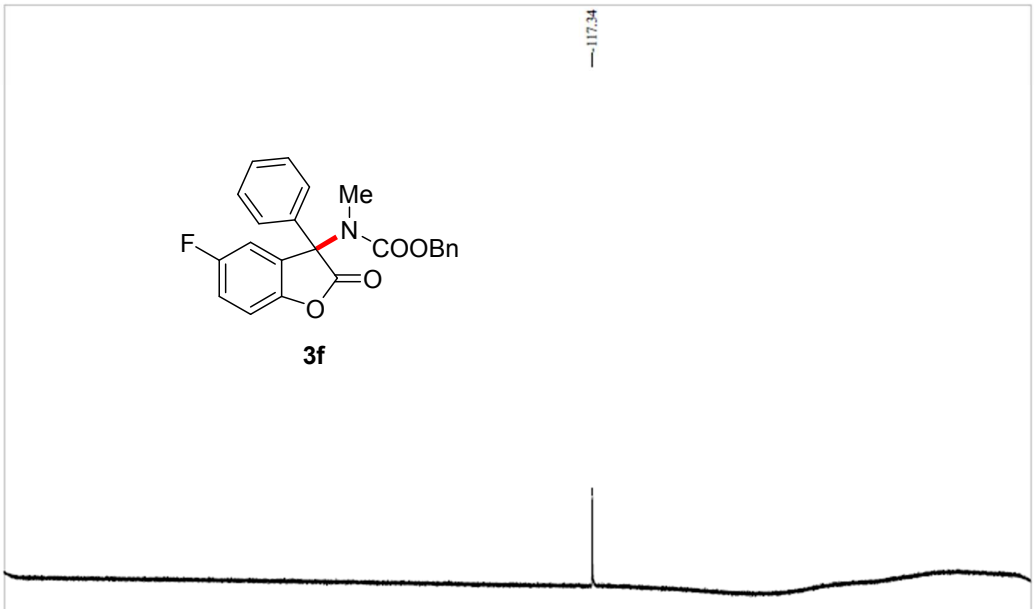

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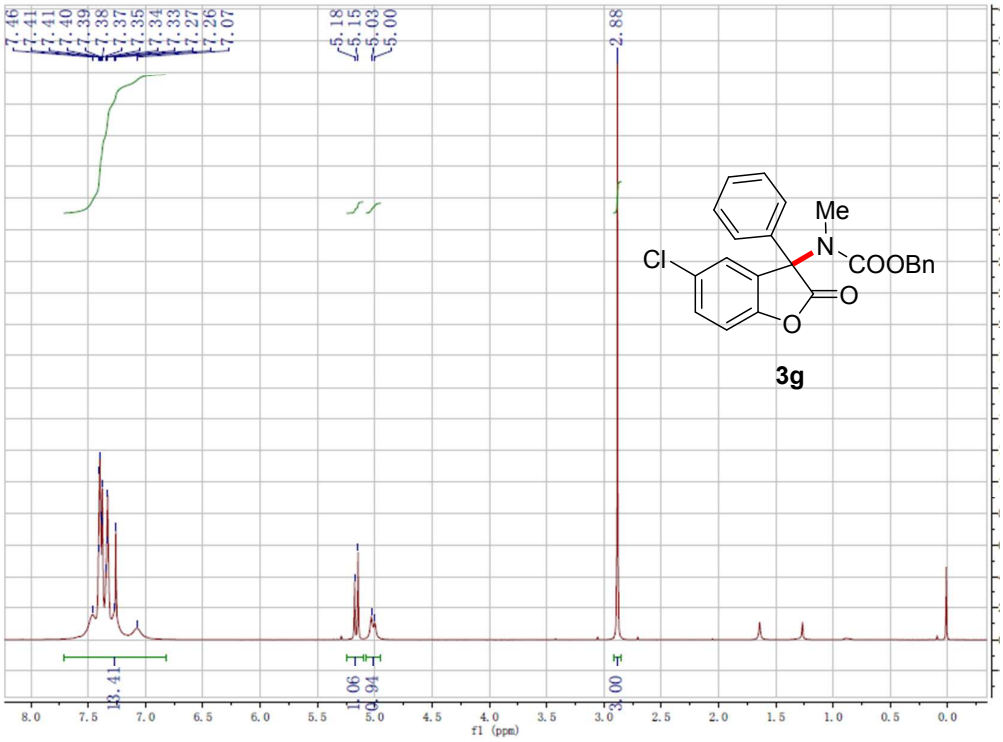
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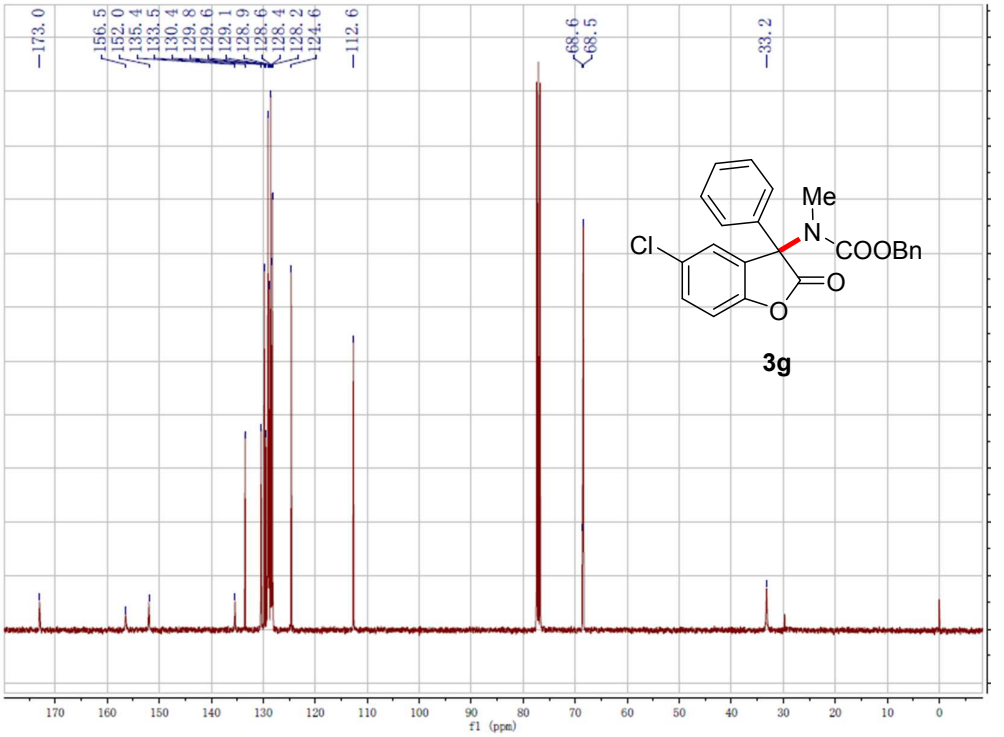
Cl
O
O

3g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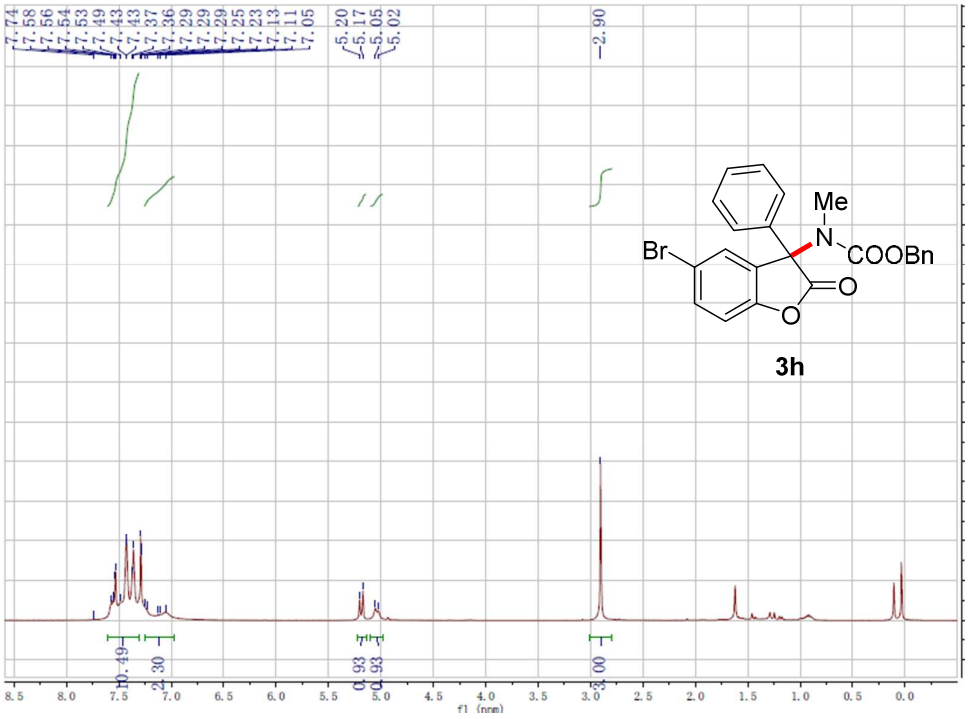
Cl
O
O

3g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Br
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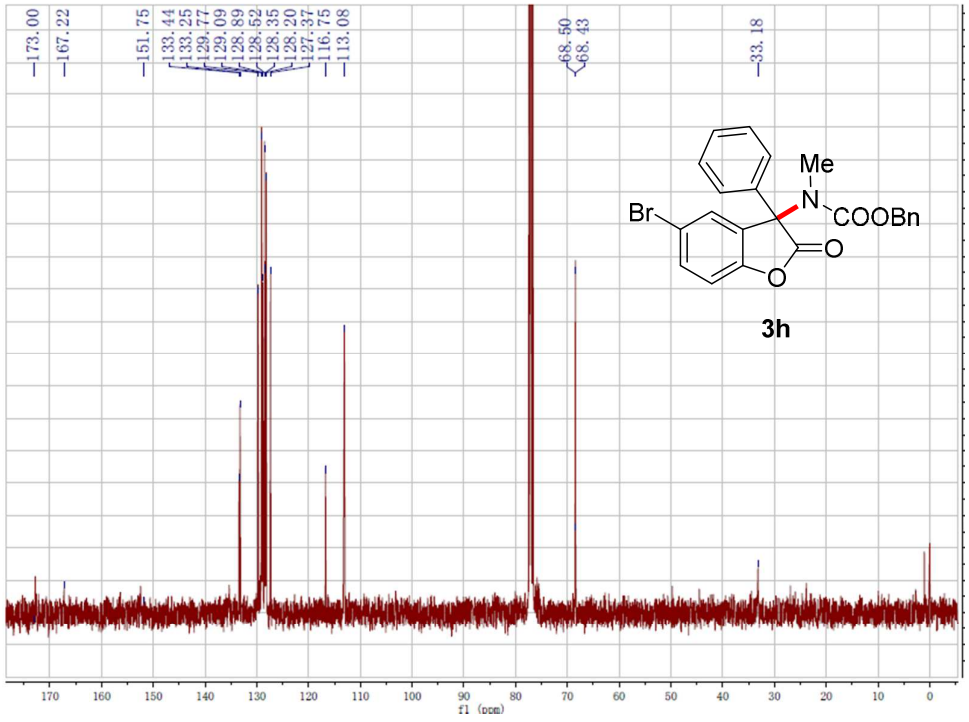
f1 (ppm)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113.08
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33.18
Me
N
COOBn
Br
O
O
3h
170
160
150
140
130
120
110
100
90
80
70
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10
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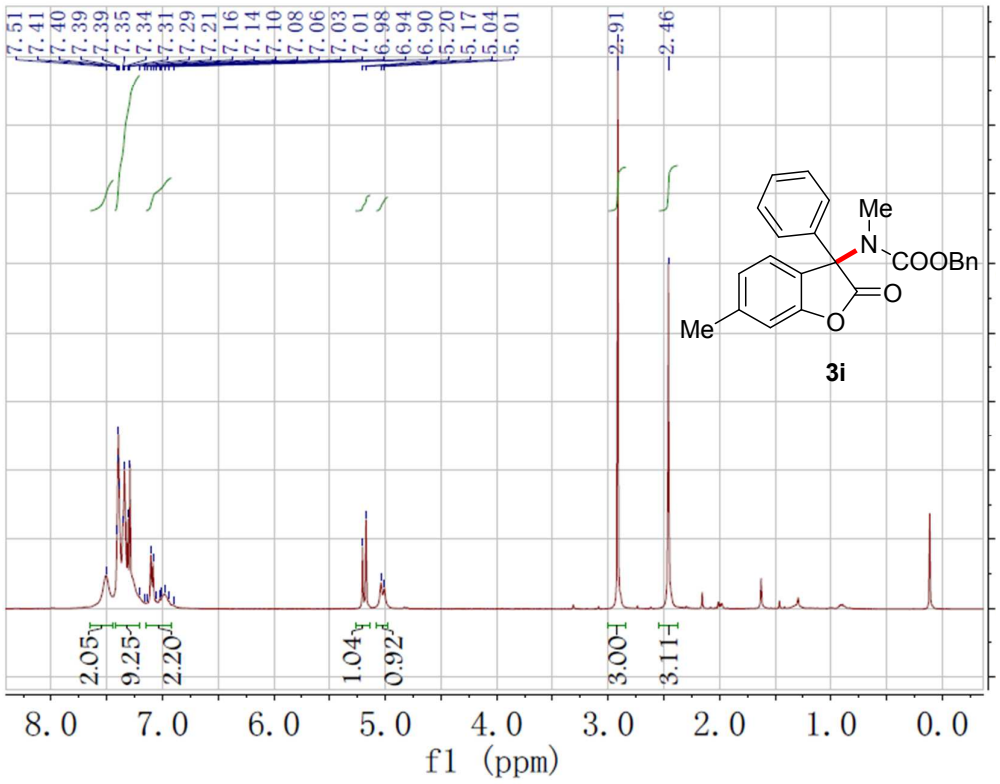
f1 (ppm)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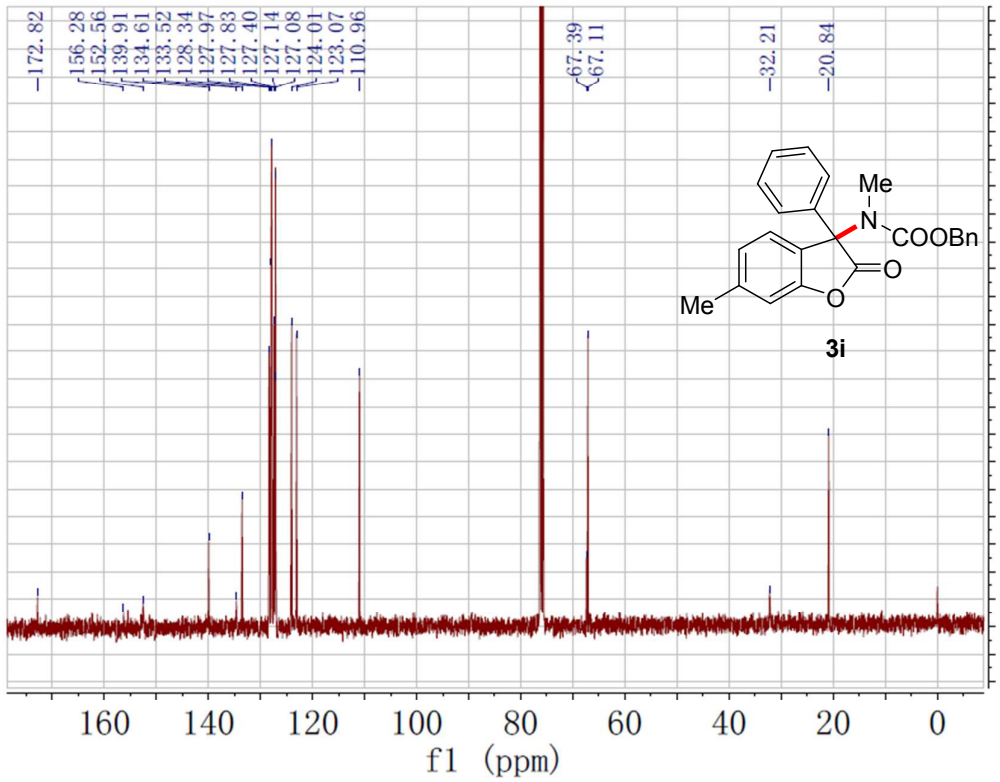
7.35
7.34
7.31
7.29
7.21
7.16
7.14
7.10
7.08
7.06
7.03
7.01
6.98
6.94
6.90
5.20
5.17
5.04
5.01
2.91
2.46
Me
N
COOBn
O
O
Me
3i
2.05
9.25
2.20
1.04
0.92
3.00
3.11
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)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133.52
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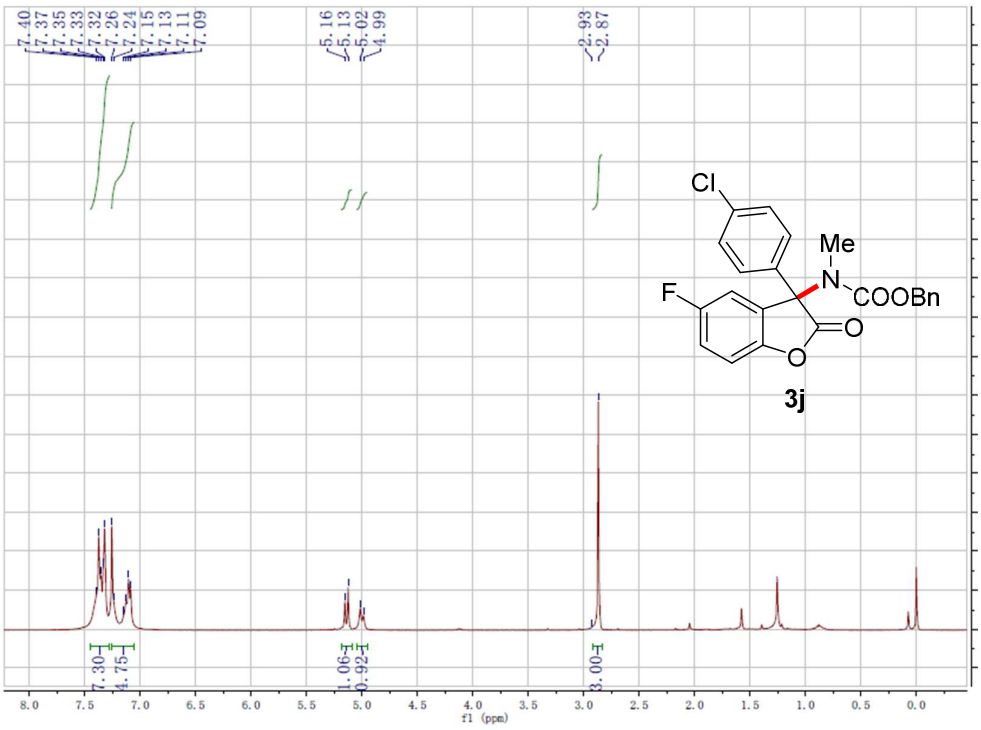
127.97
127.83
127.40
127.14
127.08
124.01
123.07
110.96
67.39
67.11
32.21
20.84
Me
N
COOBn
O
O
Me
3i
160
140
120
100
80
60
40
20
0
f1 (ppm)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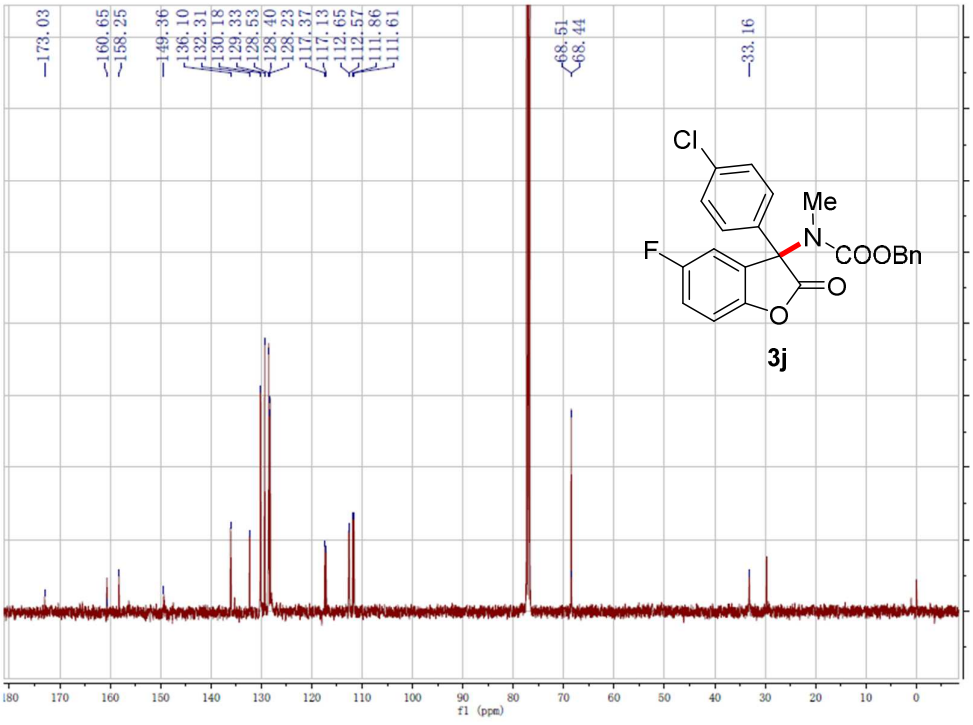
7.37
7.35
7.33
7.32
7.26
7.24
7.15
7.13
7.11
7.09
5.16
5.13
5.02
4.99
2.93
2.87
7.30
4.75
1.06
0.92
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)
Cl
Me
N
COOBn
F
O
O
3j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160.65
158.25
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136.10
132.31
130.18
129.33
128.53
128.40
128.23
117.37
117.13
112.65
112.57
111.86
111.61
68.51
68.44
33.16
180
170
160
150
140
130
120
110
100
90
80
70
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50
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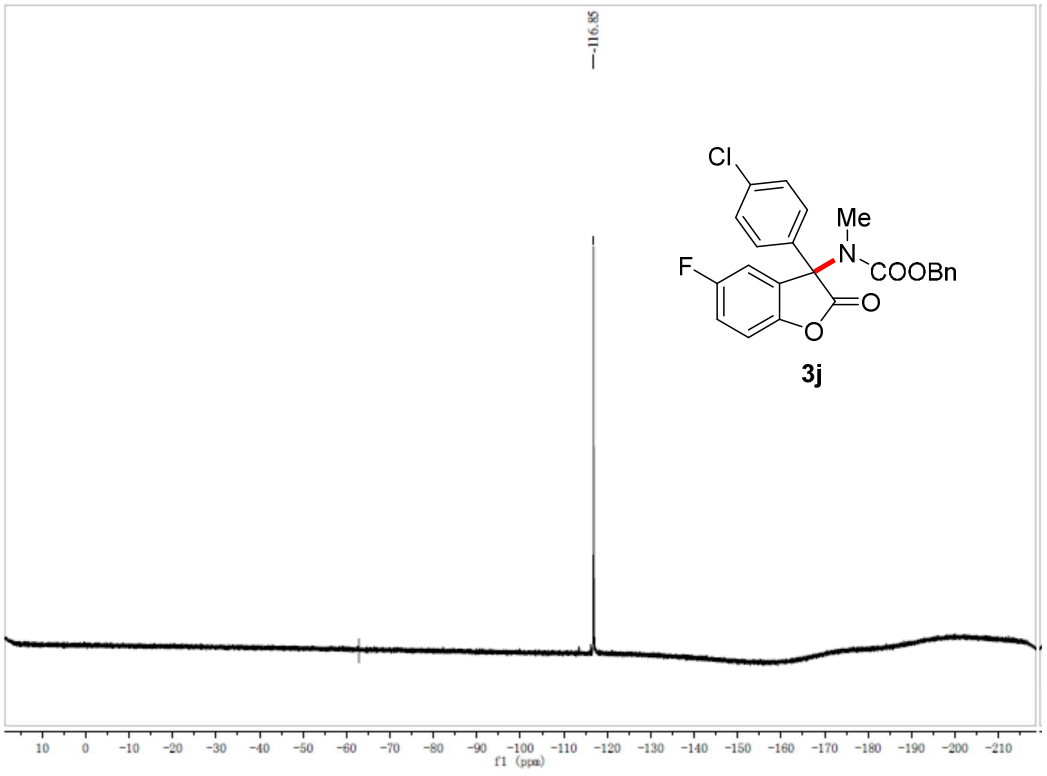
30
20
10
0
f1 (ppm)
Cl
Me
N
COOBn
F
O
O
3j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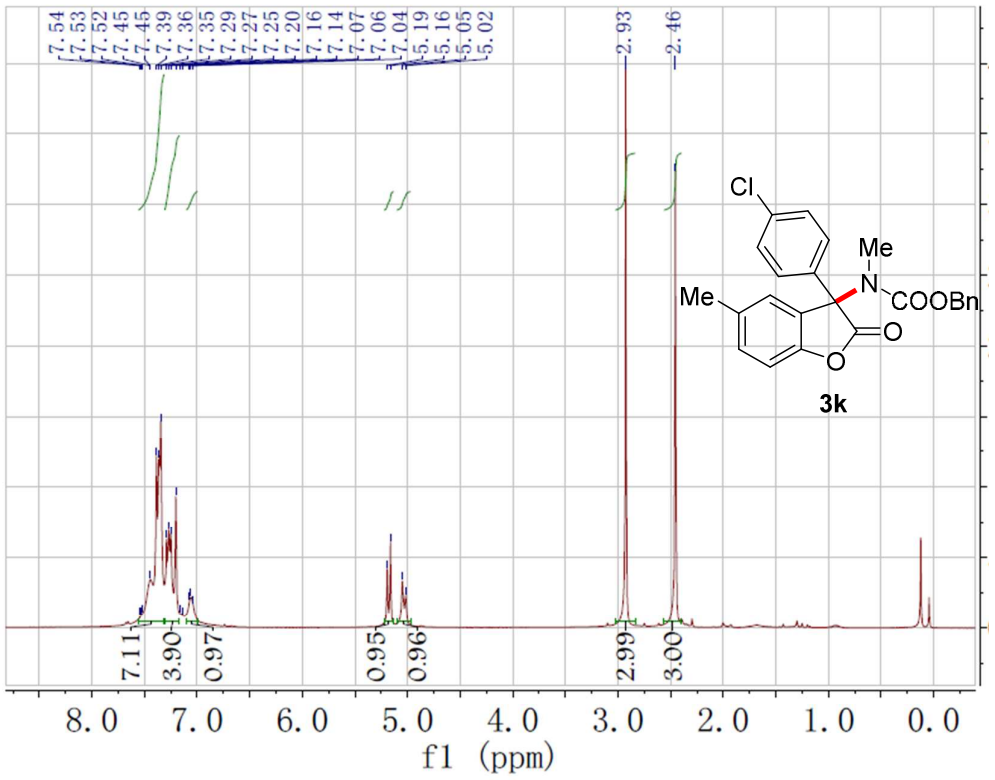
Me
N
COOBn
F
O
O
3j
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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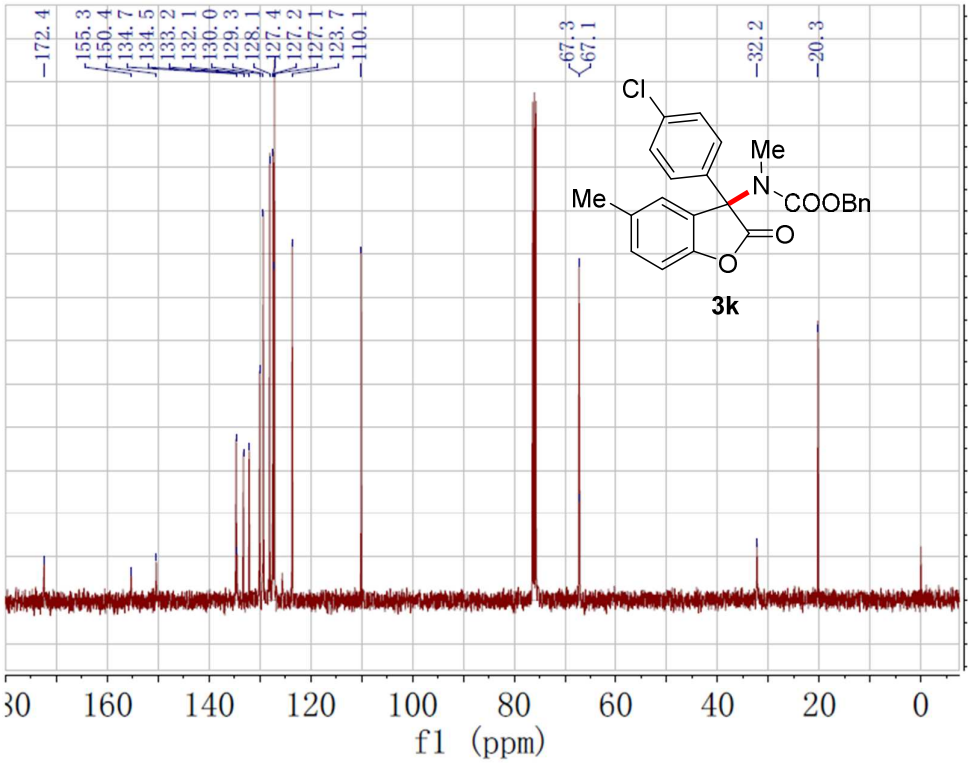
7.29
7.27
7.25
7.20
7.16
7.14
7.07
7.06
7.04
5.19
5.16
5.05
5.02
2.93
2.46
7.11
3.90
0.97
0.95
0.96
2.99
3.00
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)
Cl
Me
N
COOBn
Me
O
O
3k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150.4
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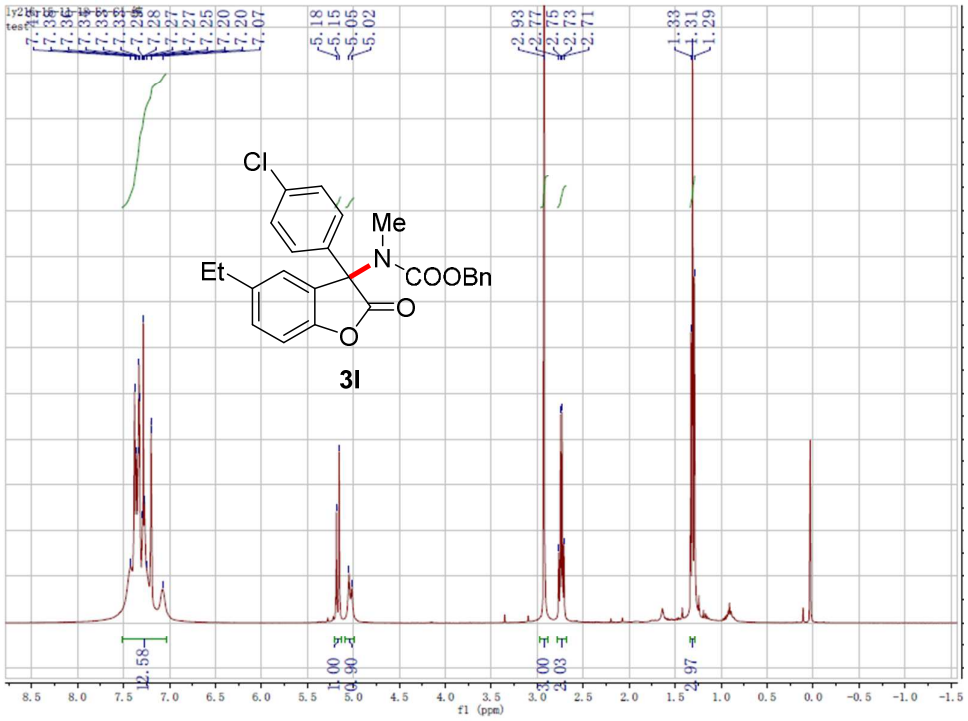
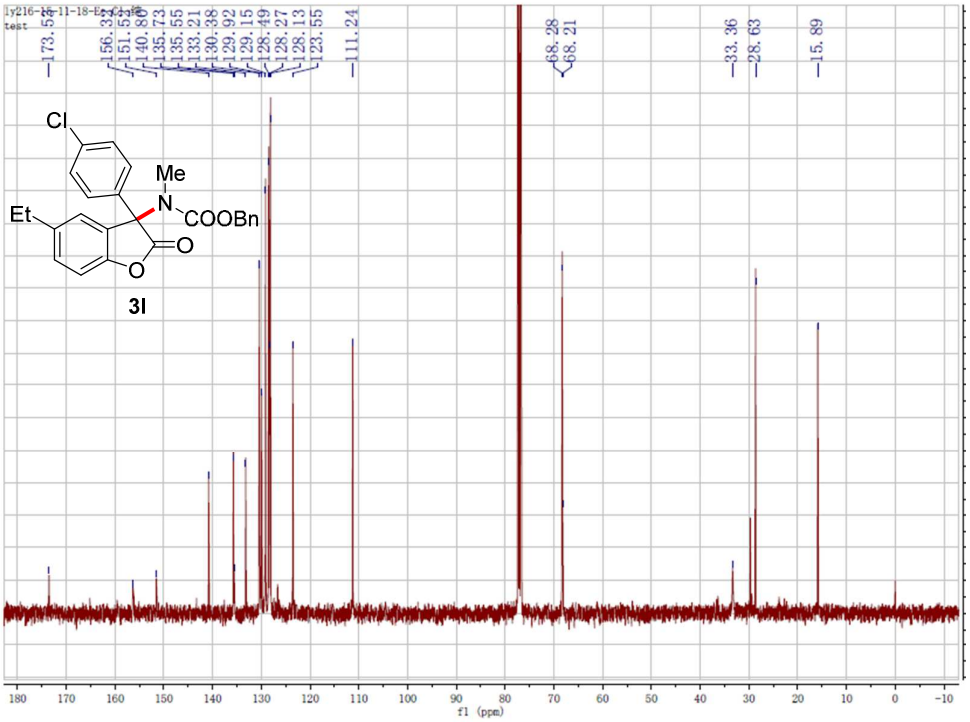
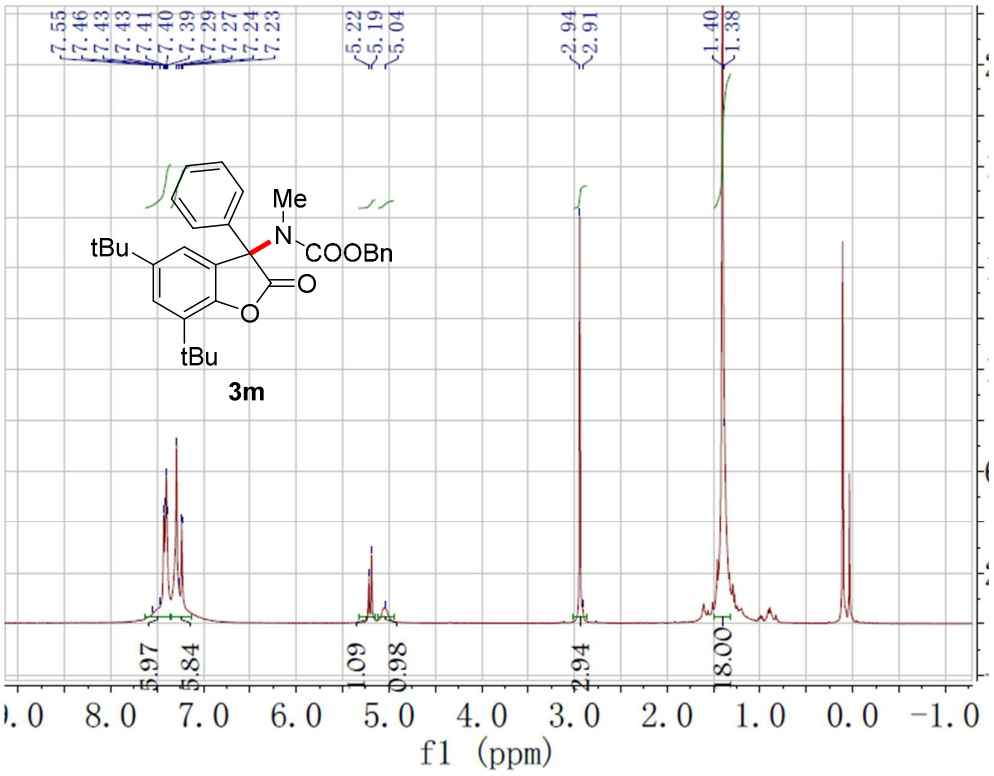
134.5
133.2
132.1
130.0
129.3
128.1
127.4
127.2
127.1
123.7
110.1
67.3
67.1
32.2
20.3
160
140
120
100
80
60
40
20
0
f1 (ppm)
Cl
Me
N
COOBn
Me
O
O
3k


Cl
Me
N
COOBn
Et
O
O
3l
f1 (ppm)


Cl
Me
N
COOBn
Et
O
O
3l
f1 (ppm)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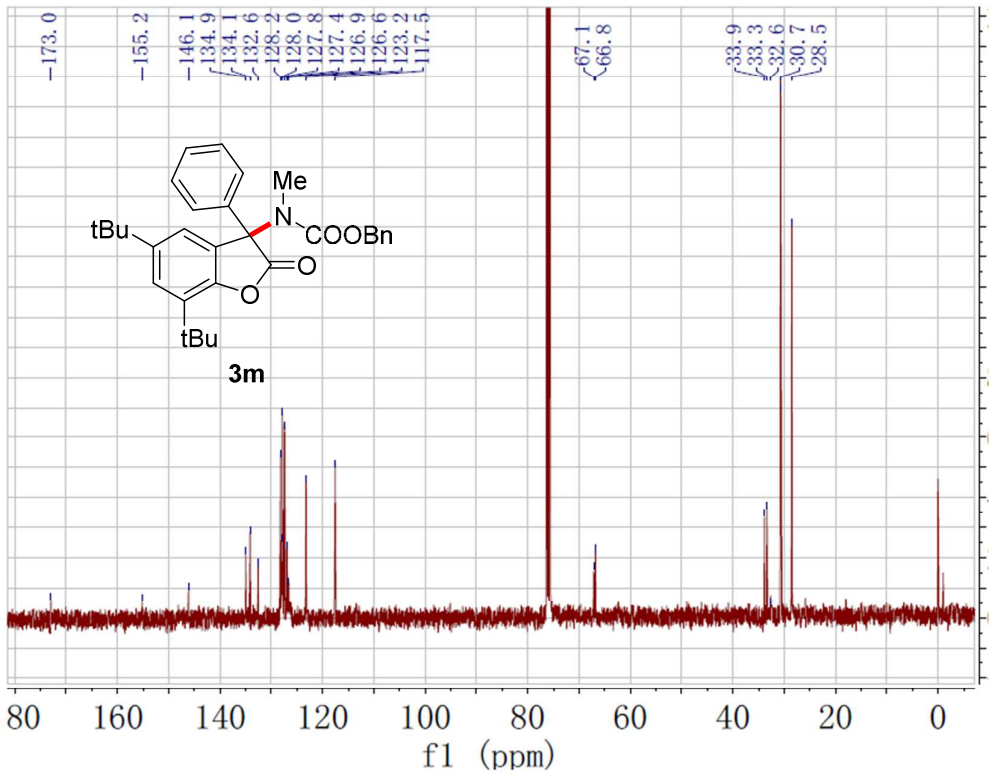
7.46
7.43
7.43
7.41
7.40
7.39
7.29
7.27
7.24
7.23
5.22
5.19
5.04
2.94
2.91
1.40
1.38
Me
N
COOBn
tBu
O
O
tBu
3m
5.97
5.84
1.09
0.98
2.94
18.00
f1 (ppm)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155.2
146.1
134.9
134.1
132.6
128.2
128.0
127.8
127.4
126.9
126.6
123.2
117.5
67.1
66.8
33.9
33.3
32.6
30.7
28.5
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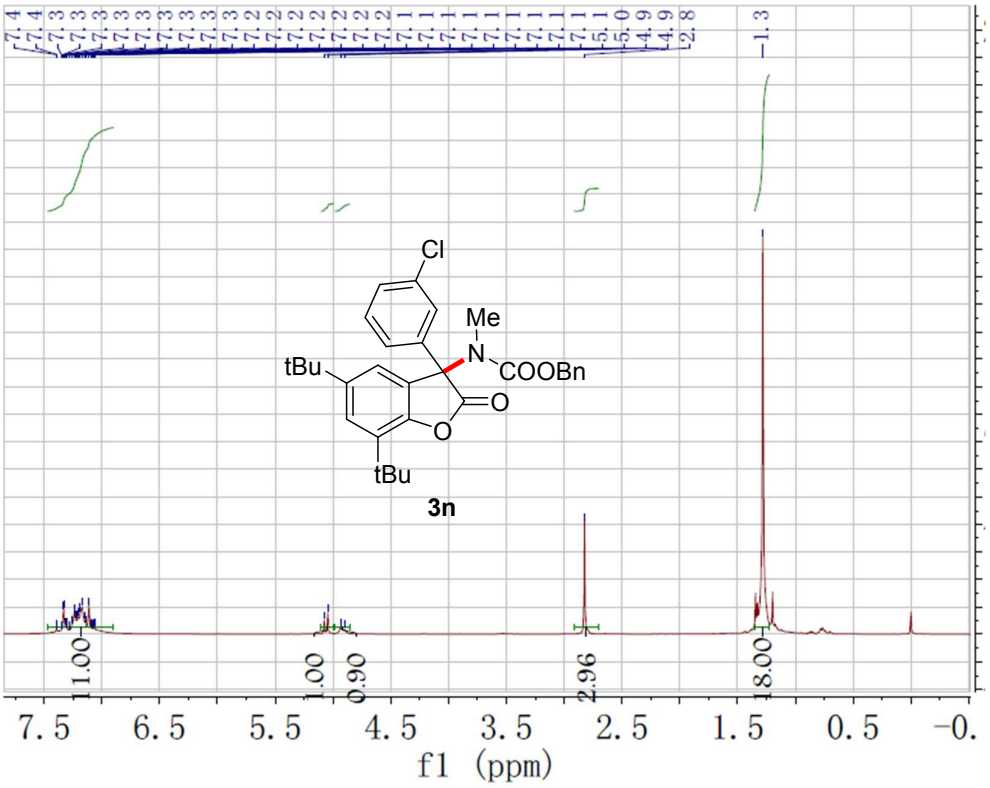
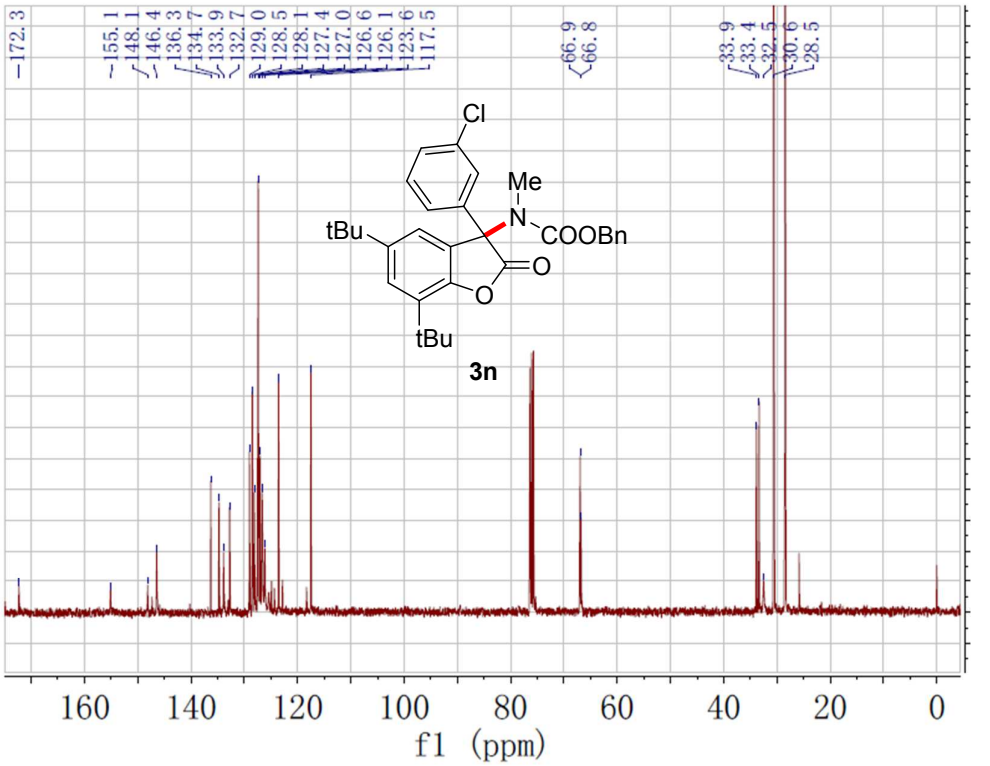
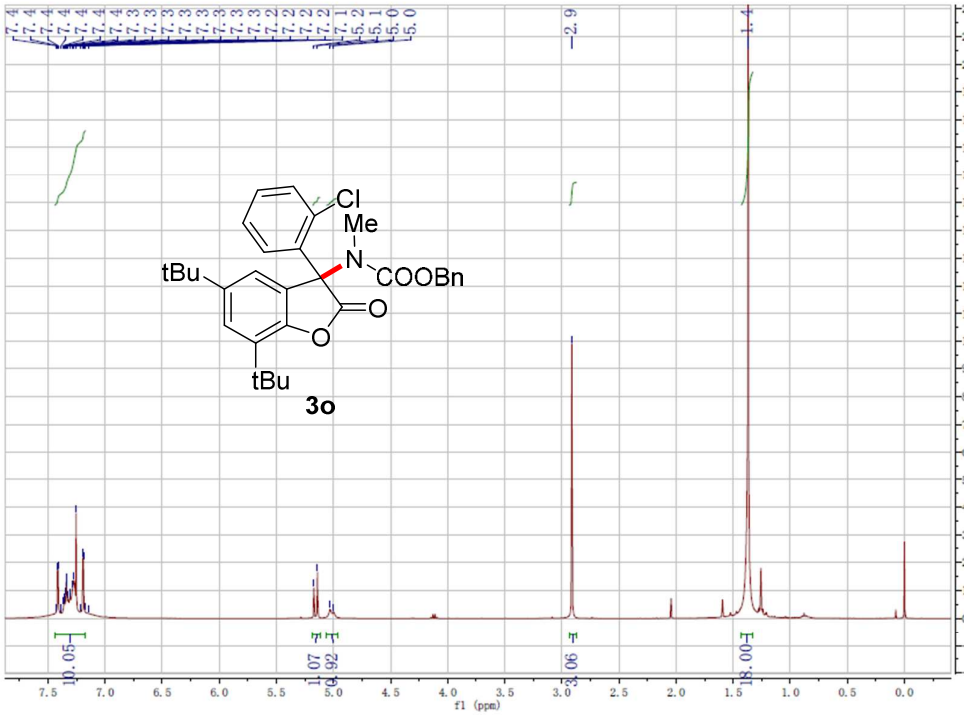
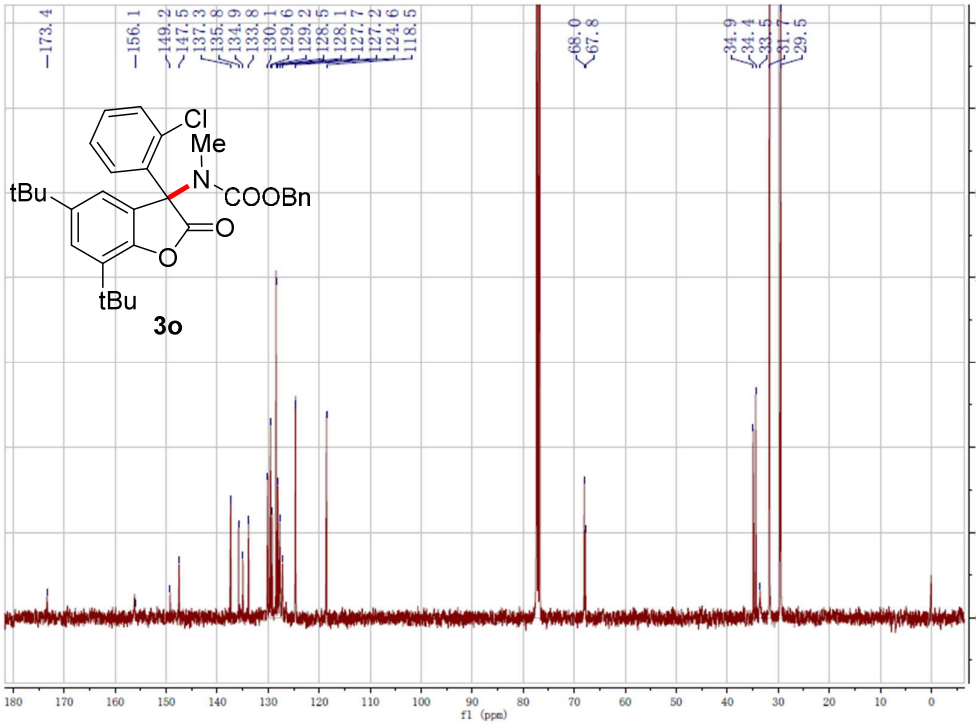
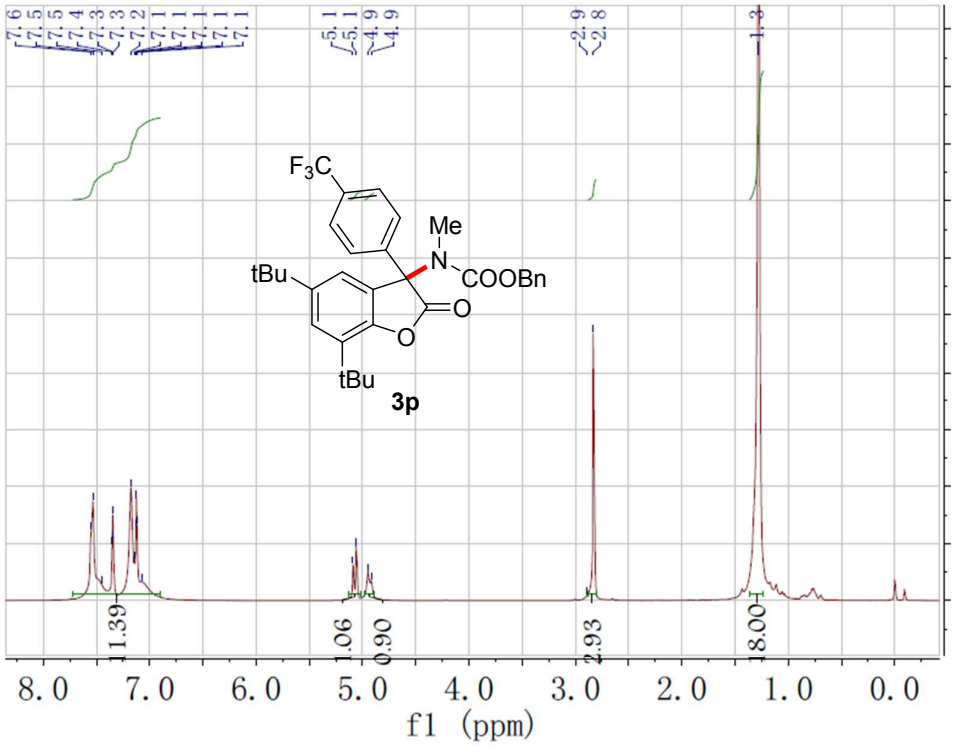
tBu
O
O
tBu
3m
f1 (ppm)

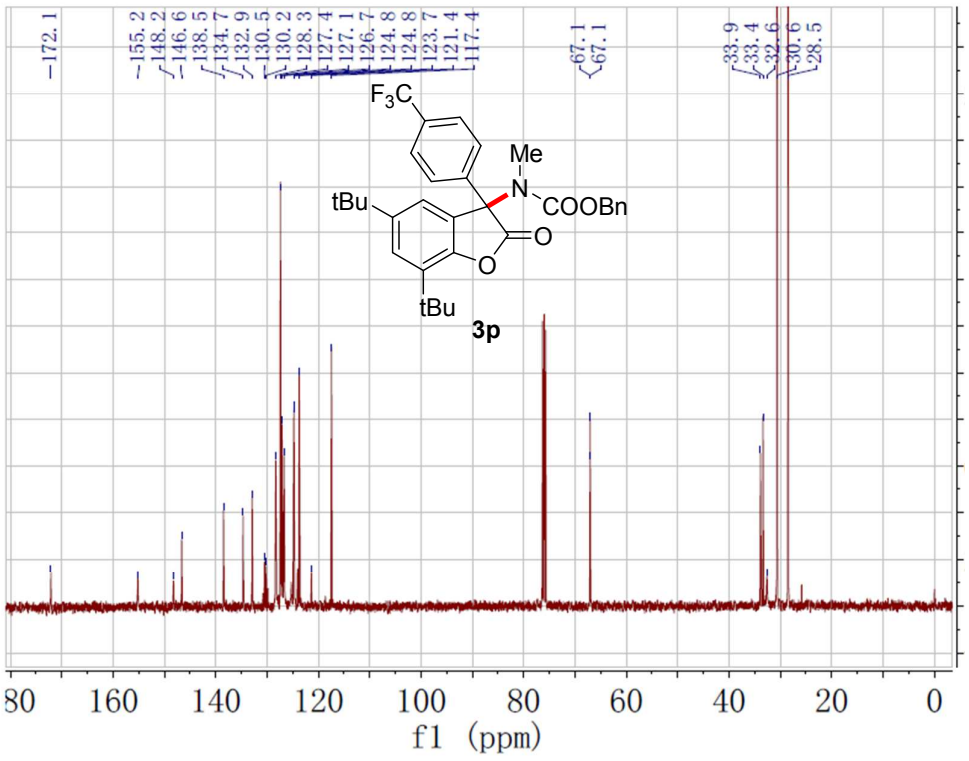

Cl
Me
N
COOBn
tBu
O
O
tBu
3n
11.00
1.00
0.90
2.96
18.00
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

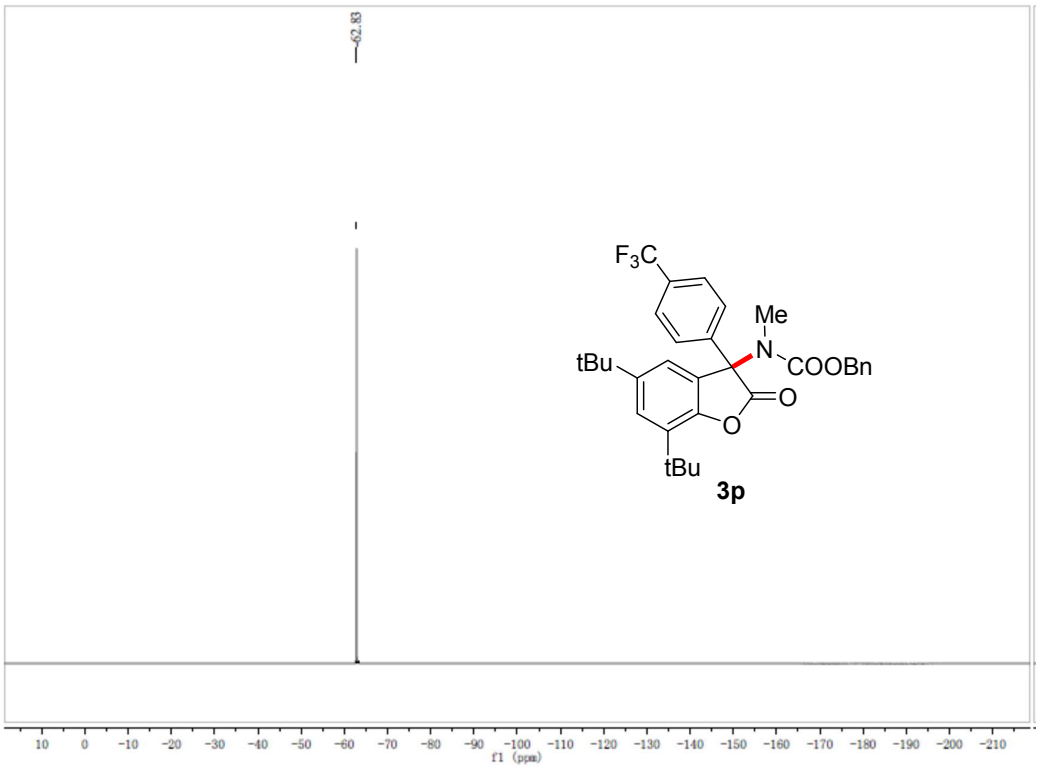

Cl
Me
N
COOBn
tBu
O
O
tBu
3n
160
140
120
100
80
60
40
20
0
f1 (ppm)


Cl
Me
N
COOBn
tBu
O
O
tBu
3o

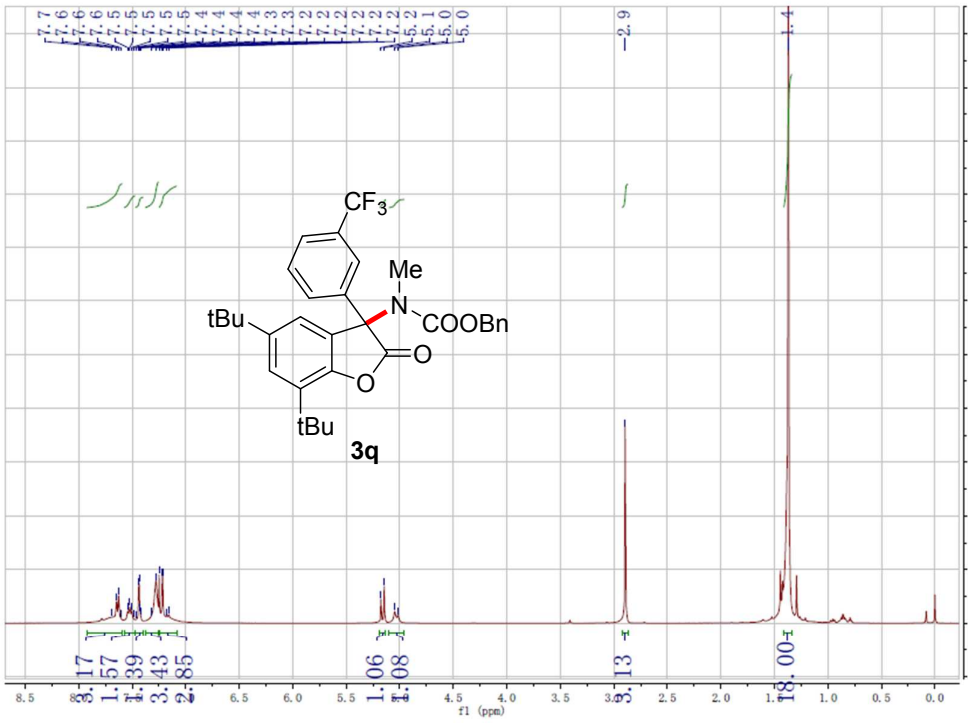

Cl
Me
N
COOBn
tBu
O
O
tBu
3o

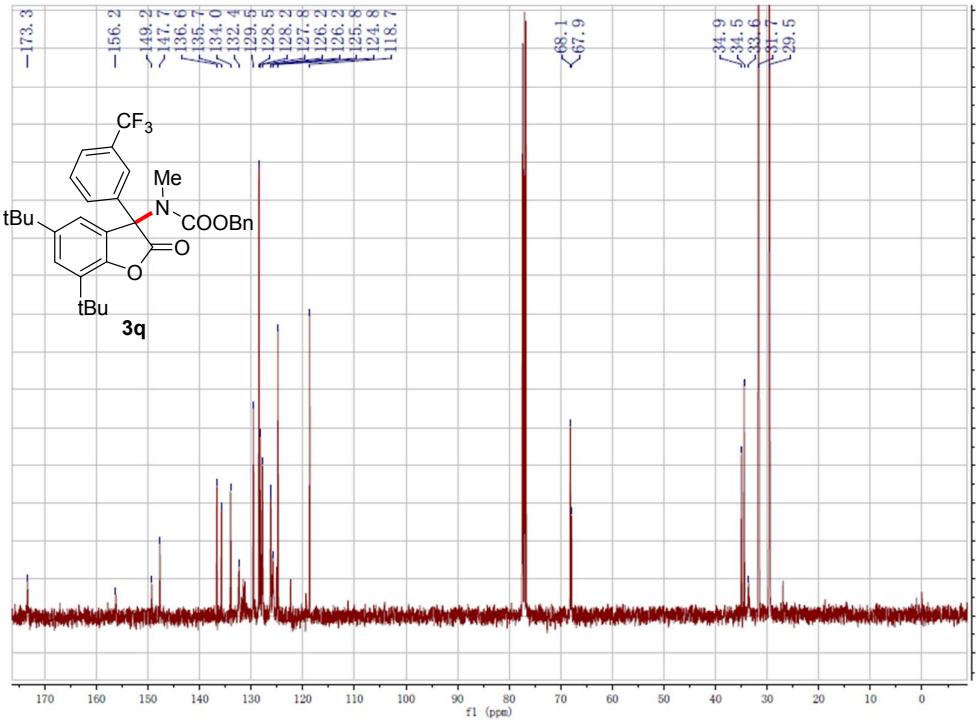

F3C
Me
N
COOBn
tBu
O
O
tBu
3p
f1 (ppm)

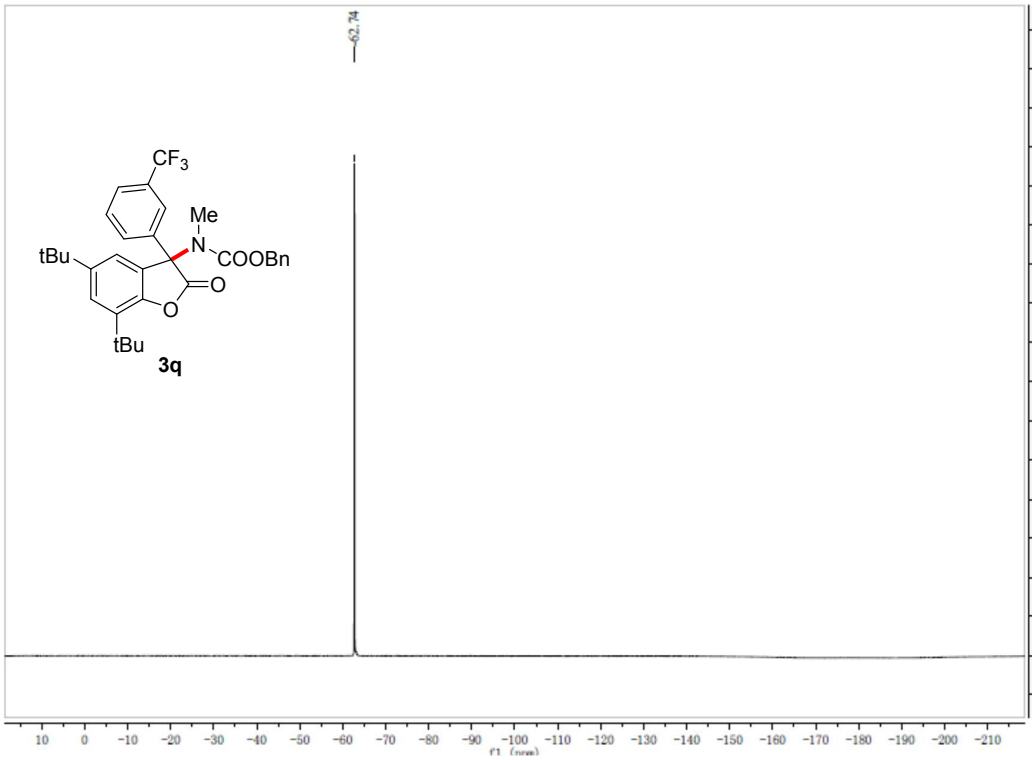

F3C
Me
N
COOBn
tBu
O
O
tBu
3p
f1 (ppm)


-62.83
F3C
Me
N
COOBn
tBu
O
O
tBu
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

3p


CF3
Me
N
COOBn
tBu
O
O
tBu
3q
f1 (ppm)


CF3
Me
N
COOBn
tBu
O
O
tBu
3q
f1 (ppm)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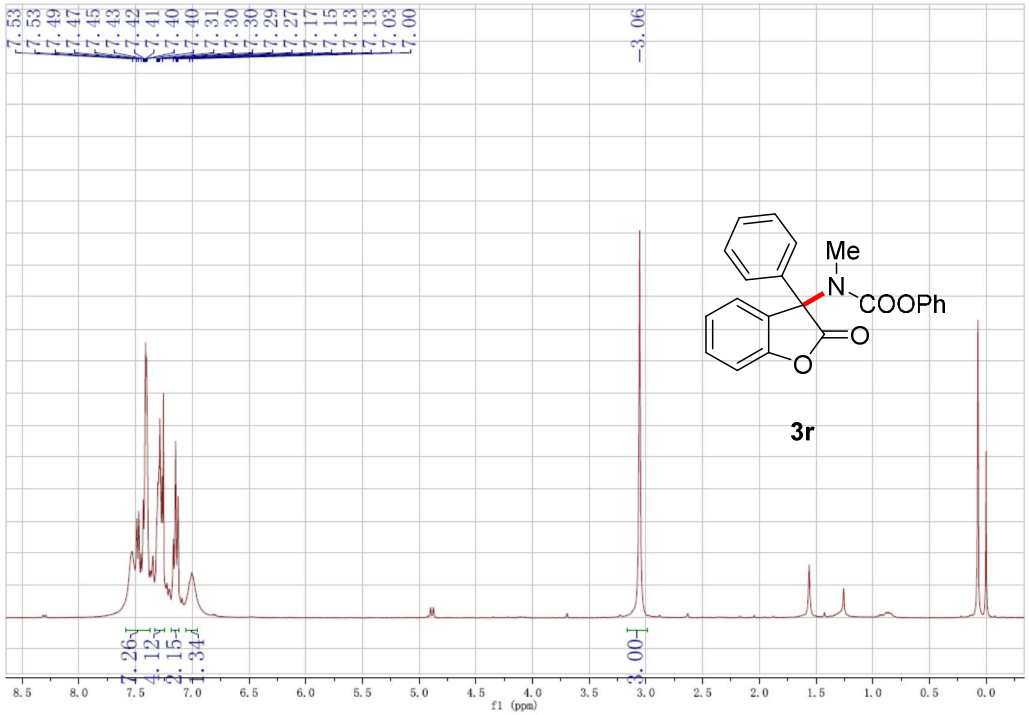
CF3
Me
N
COOBn
tBu
O
O
tBu
3q
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210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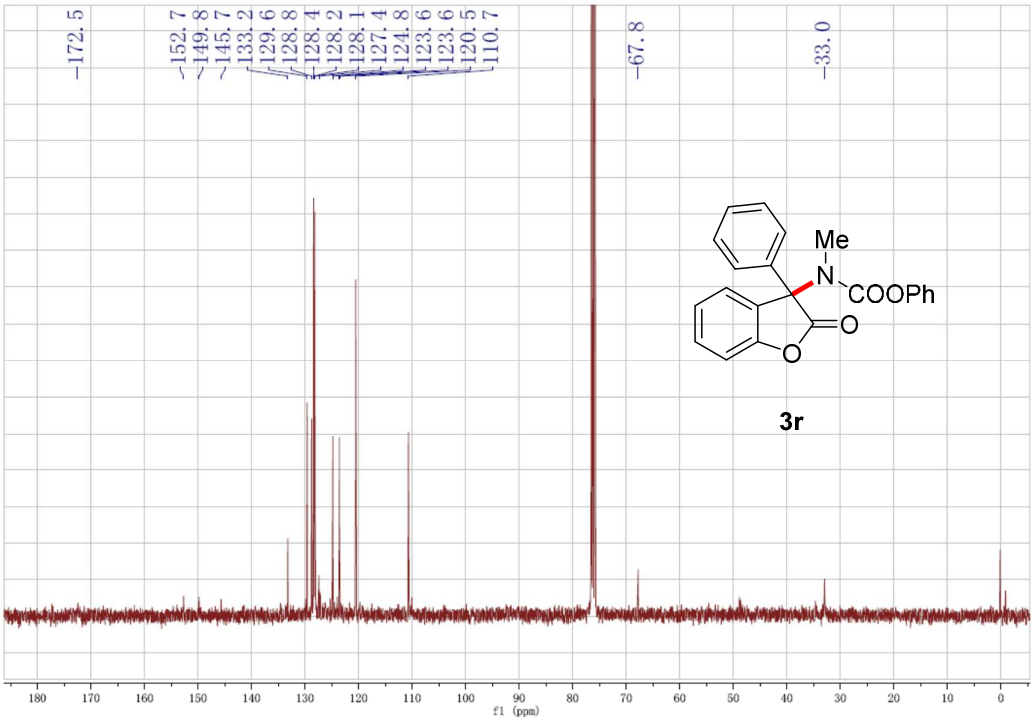
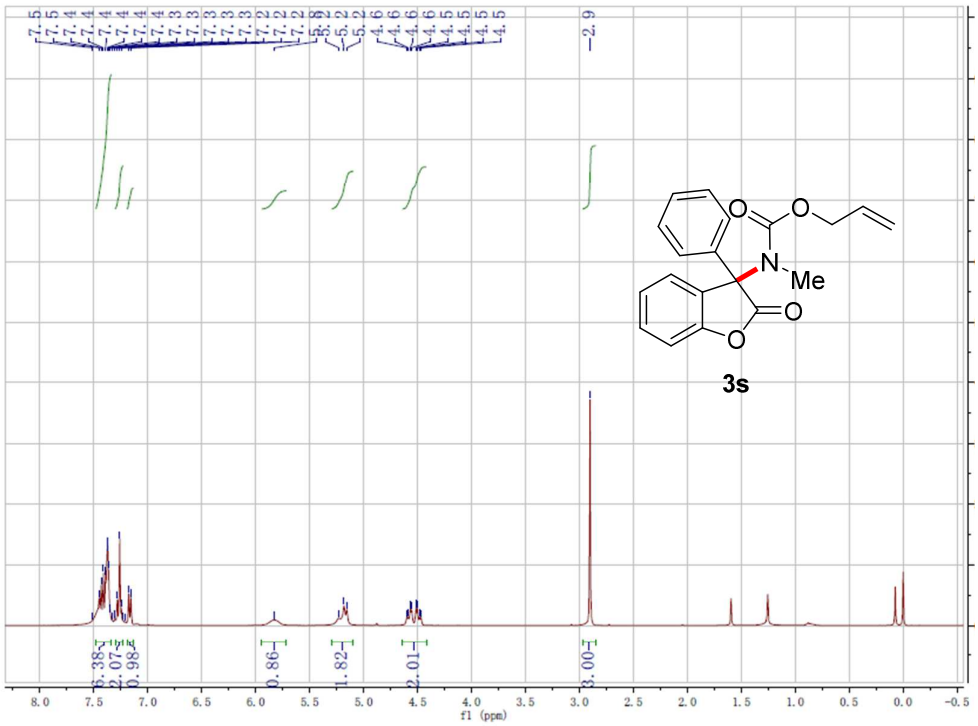
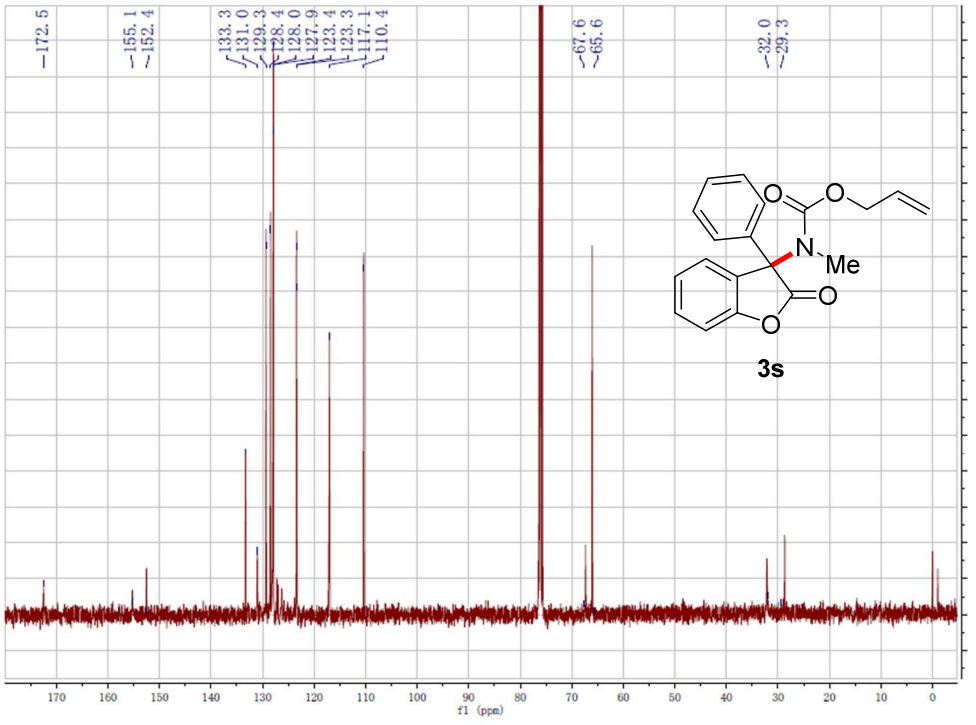
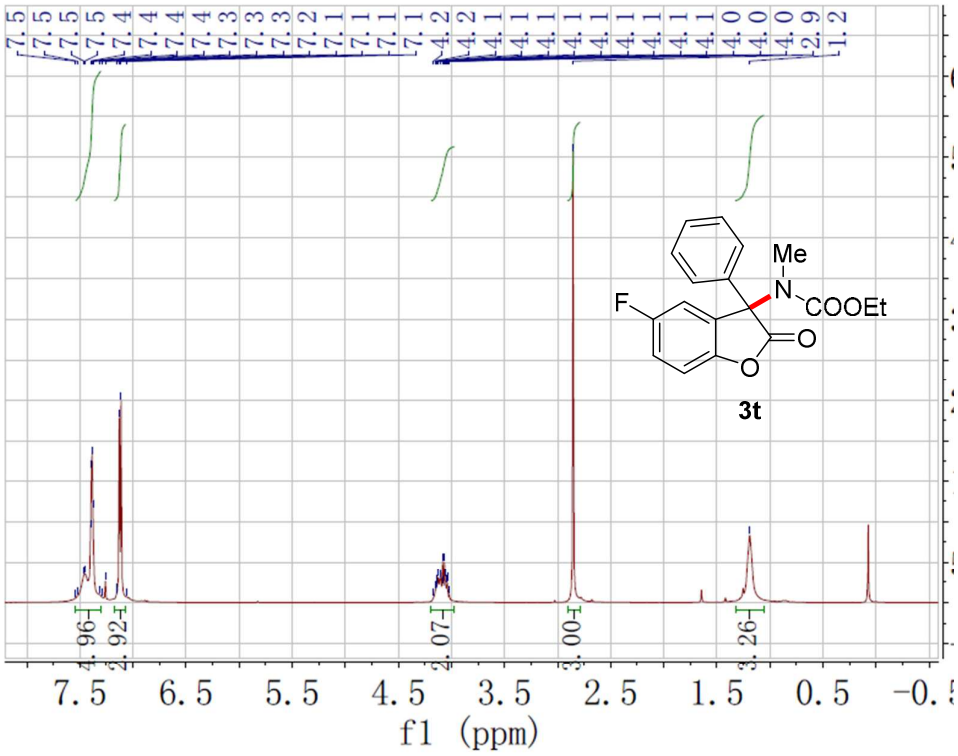
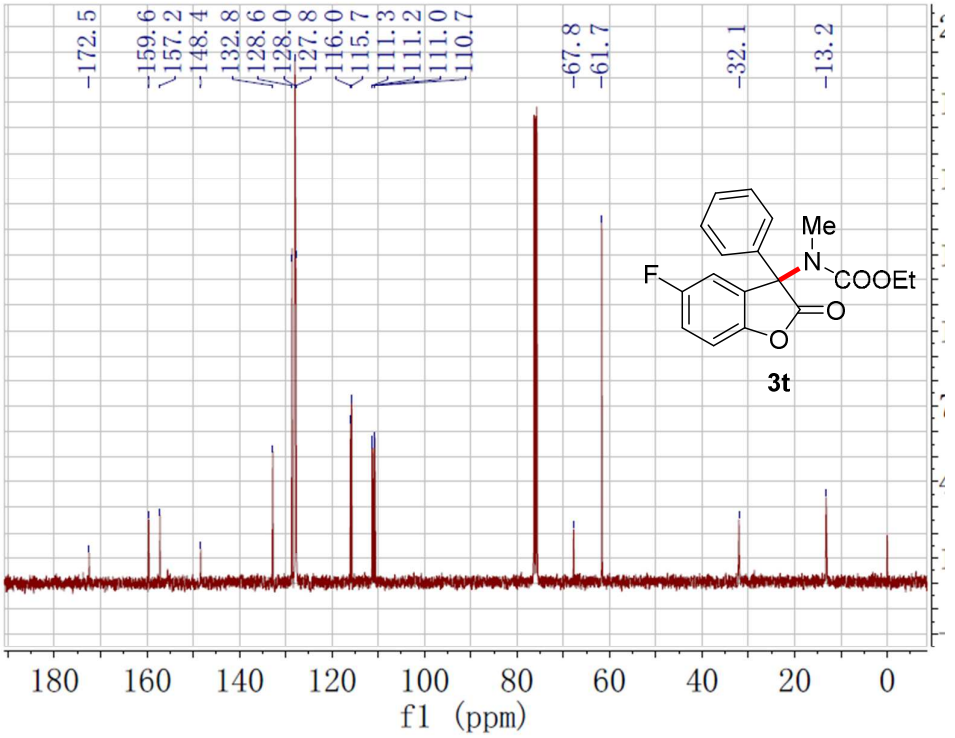
7.31
7.30
7.30
7.29
7.27
7.17
7.15
7.13
7.13
7.03
7.00
3.06
Me
N
COOPh
O
O
3r
7.26
4.12
2.15
1.34
3.00
f1 (ppm)

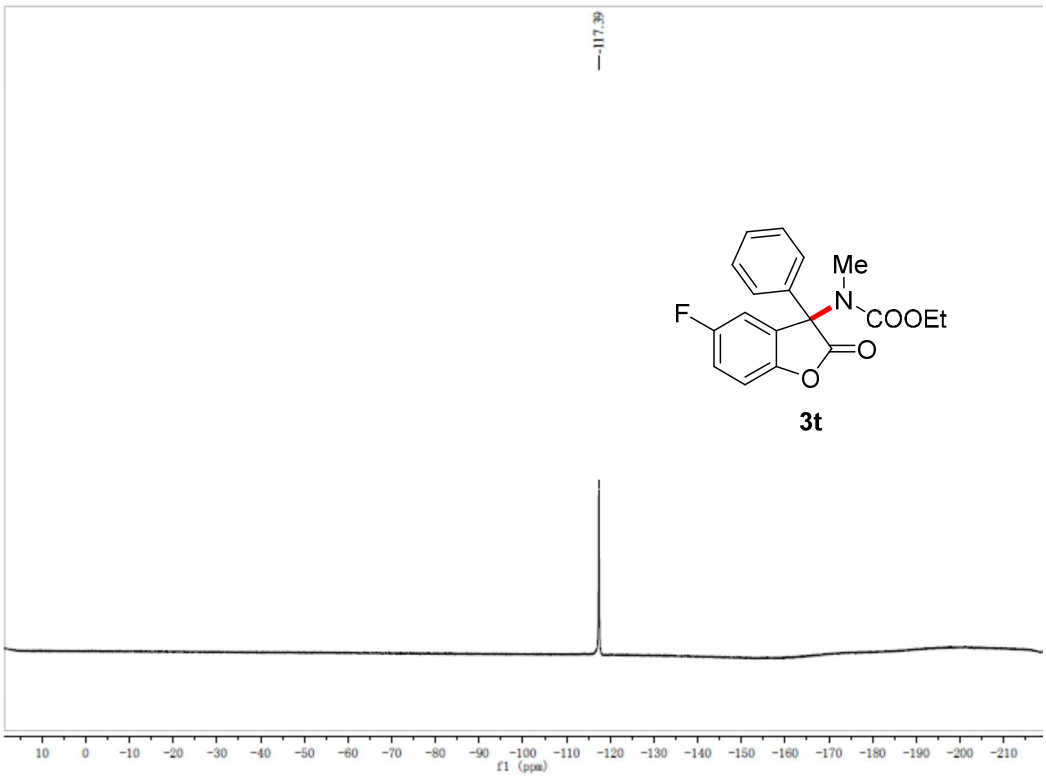

172.5
152.7
149.8
145.7
133.2
129.6
128.8
128.4
128.2
128.1
127.4
124.8
123.6
123.6
120.5
110.7
67.8
33.0
Me
N
COOPh
O
O
3r
f1 (ppm)


3s

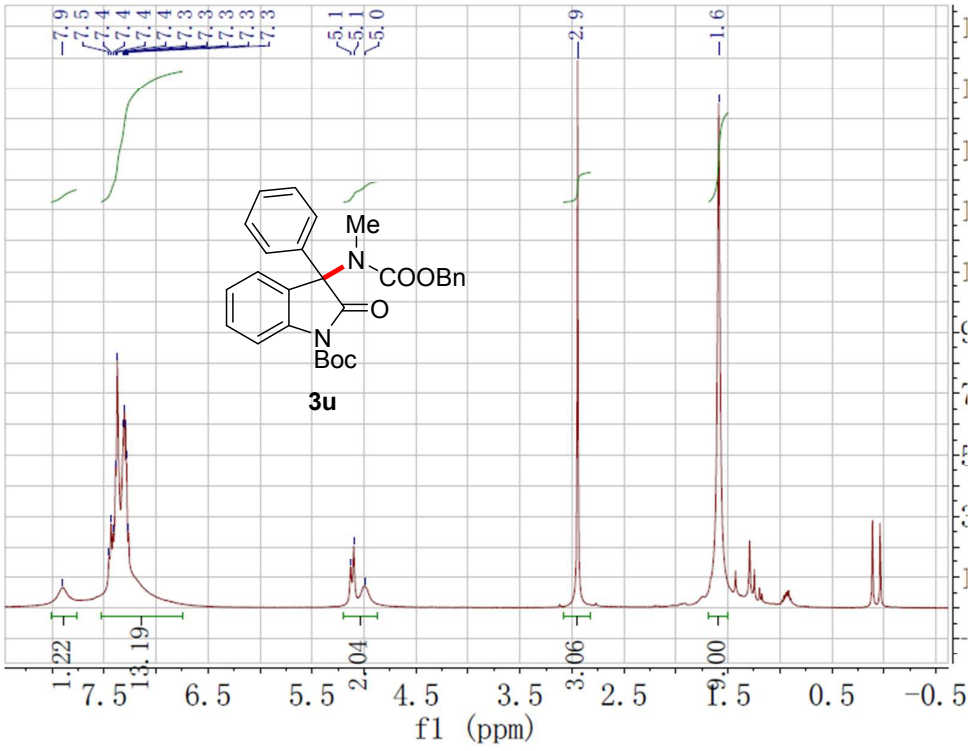

3s

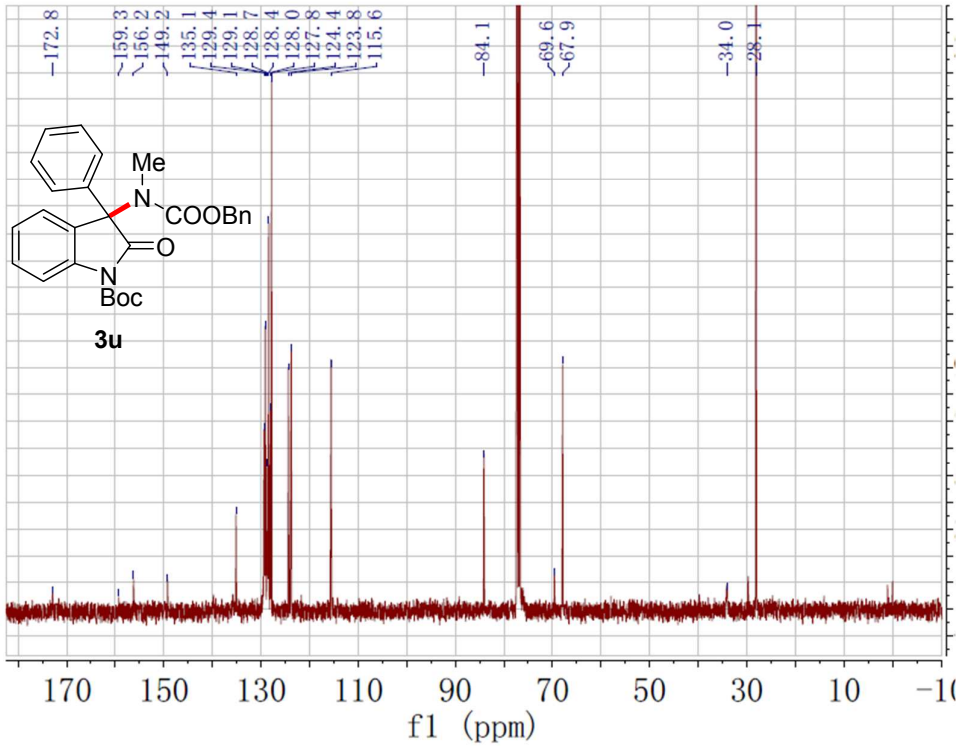

Me
N
COOEt
F
O
O
3t
f1 (ppm)

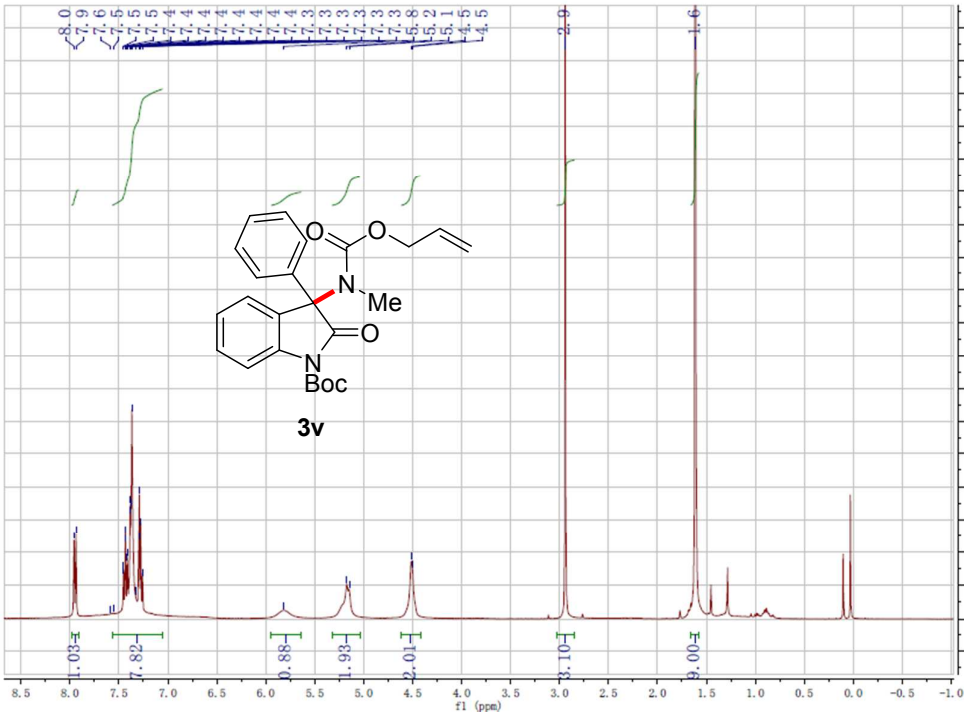

Me
N
COOEt
F
O
O
3t
f1 (ppm)

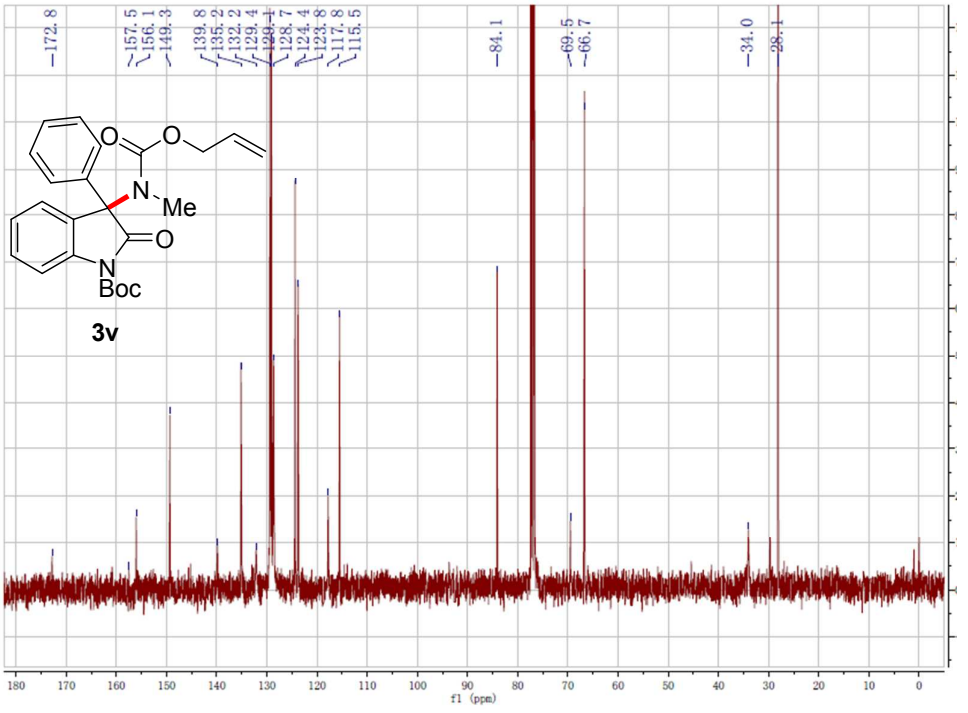

-117.39
Me
N
COOEt
F
O
O
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

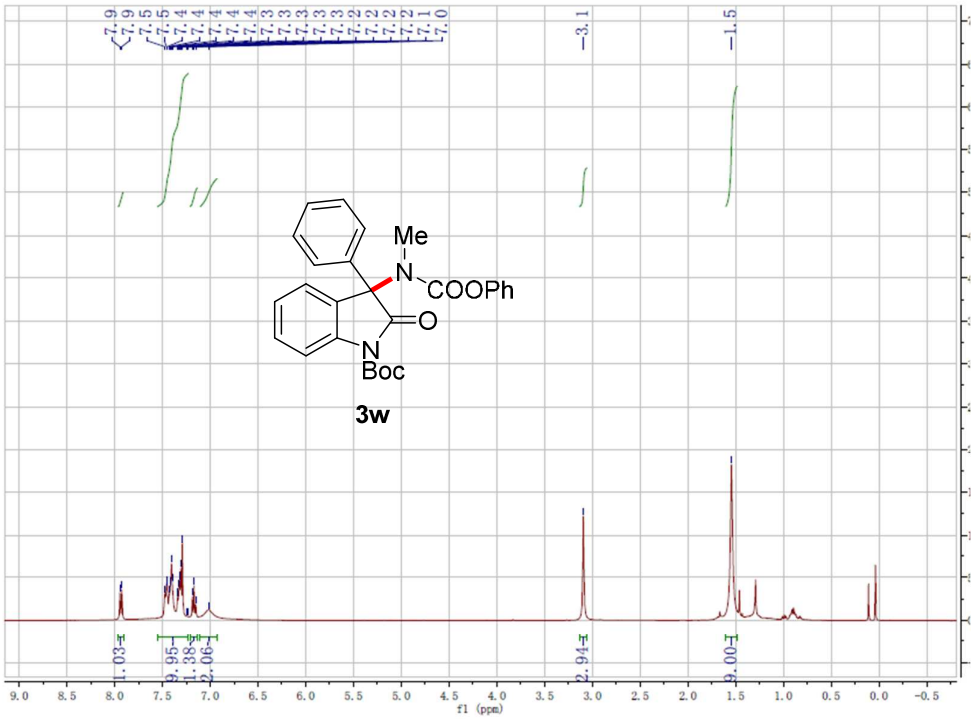
3t

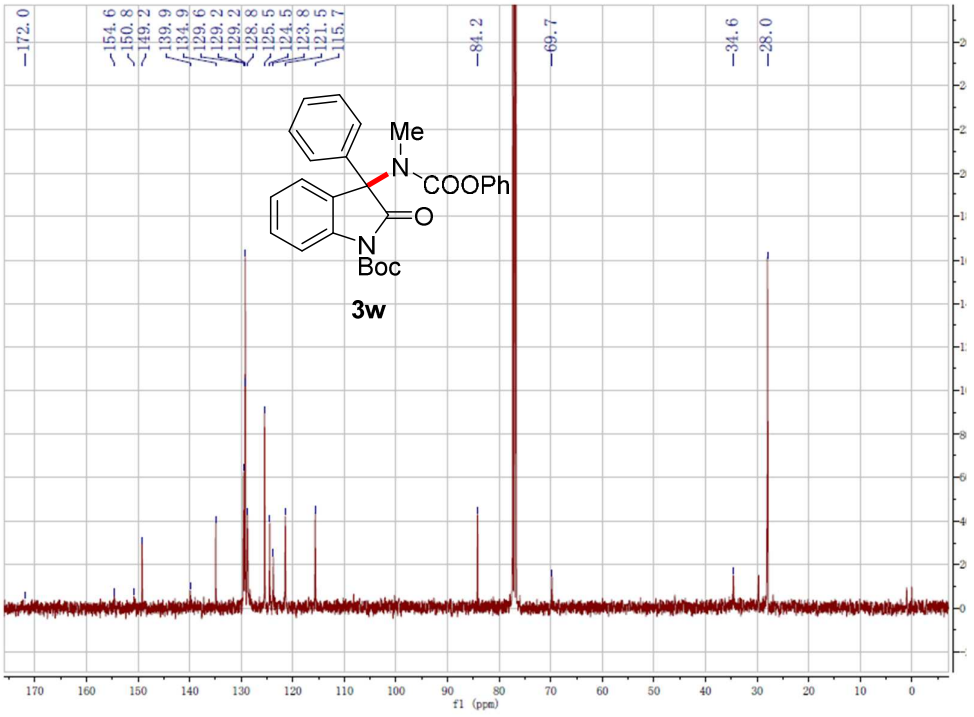

7.9
7.5
7.4
7.4
7.4
7.4
7.3
7.3
7.3
7.3
5.1
5.1
5.0
2.9
1.6
Me
N
COOBn
O
N
Boc
3u
1.22
13.19
2.04
3.06
3.00
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)


172.8
159.3
156.2
149.2
135.1
129.4
129.1
128.7
128.4
128.0
127.8
124.4
123.8
115.6
84.1
69.6
67.9
34.0
28.1
Me
N
COOBn
O
N
Boc
3u
170
150
130
110
90
70
50
30
10
f1 (ppm)


3v


3v


Me
N
COOPh
O
N
Boc
3w


Me
N
COOPh
O
N
Boc
3w