Supporting Information

Direct synthesis of sulfonated dihydroisoquinolinones from *N*-allylbenzamide and arylsulfinic acids *via* TBHP-promoted cascade radical addition and cyclization

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I. General considerations

All reactions were carried out under air. ^{1}H NMR and ^{13}C NMR spectra were measured on a Bruker Avance NMR spectrometer (400 MHz or 100 MHz, respectively) in $CDCl_3$ as solvent and recorded in ppm relative to internal standard tetramethylsilane. ^{1}H NMR data are reported as follows: δ, chemical shift; coupling constants (*J* are given in Hertz, Hz) and integration. Abbreviations to denote the multiplicity of a particular signal were s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), and br (broad singlet). High resolution mass spectroscopic data of the products were collected on an Agilent Technologies 6540 UHD Accurate-Mass Q-TOF LC/MS using ESI.

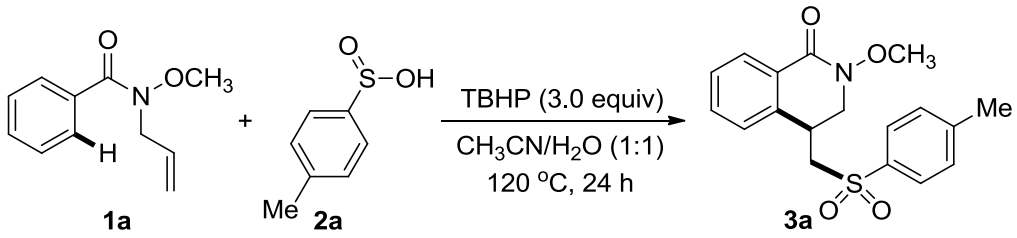
Unless otherwise stated, all reactions were carried out under air atmosphere. The chemicals and solvents were purchased from commercial suppliers either from Aldrich (USA) or Shanghai Chemical Company (China) without further purification. Products were purified by flash chromatography on 100–200 mesh silica gels, SiO_2.

II. General experimental procedure

1. Typical procedure for the synthesis of starting material 1a[1]

To a stirred solution of *N*-methyloxybenzamide (5.0 mmol), NaH (5.5 mmol) in THF (10.0 mL) were added allyl bromide (5.5 mmol) at 0 °C. Then the mixture was heated to 70 ^{o}C for 12 h. After completion, the reaction was quenched with H_2O and extracted twice with EtOAc. The extract was dried over anhydrous $MgSO_4$ and concentrated under reduced pressure, which was further purified by silica gel flash chromatography to afford the desired starting materials **1a** with 90% yield.

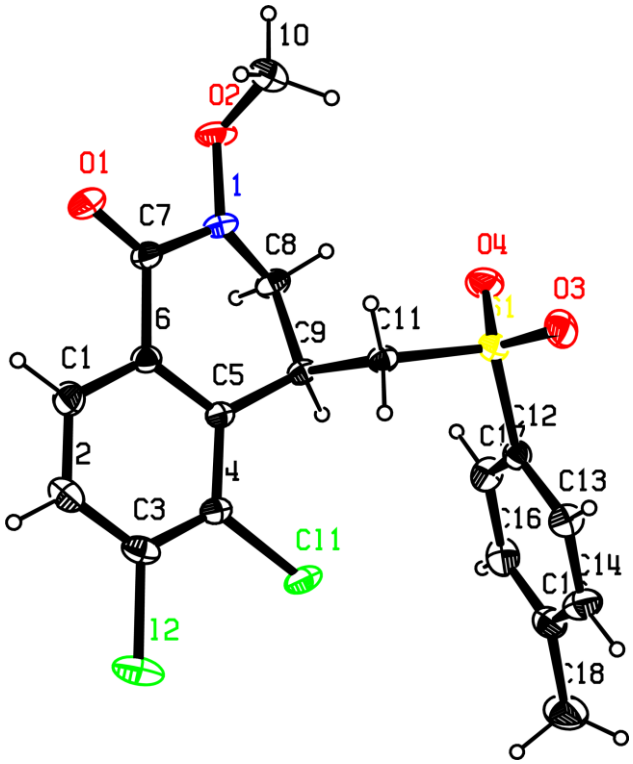
2. General procedure for the synthesis of compound 3a



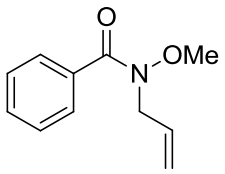
In a 10 mL of sealable reaction tube with a Teflon-coated cap equipped with a

magnetic stir bar was charged with *N*-allyl-*N*-methyloxybenzamide (**1a**, 0.25 mmol), *p*-tolylsulfinic acid (**2a**, 0.75 mmol), TBHP (0.75 mmol), mixture of CH_3CN and H_2O (1:1, 3.0 mL). The mixture were stirred in oil bath at 120 ^oC for 24 hours. Then it was cooled to room temperature, extracted twice with EtOAc. The organic layers were combined, dried over $MgSO_4$, and concentrated under reduced pressure to yield the crude product, which was further purified by flash chromatography on silica gel (eluant: petroleum ether/ethyl acetate=2:1) to give the desired product **3a**.

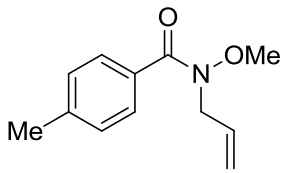
III. X-ray single crystal structure of 3aj (CCDC 1479700)



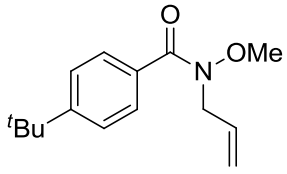
IV. Characterization data for the substrates 1 and products 3



***N*-Allyl-*N*-methoxybenzamide** (**1a**, 90% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.59 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 6.01–5.91 (m, 1H), 5.34–5.24 (m, 2H), 4.32 (d, *J* = 6.0 Hz, 2H), 3.57 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 169.5, 140.0, 131.9, 130.3, 127.74, 127.72, 118.0, 61.6, 49.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{11}H_{14}NO_2$: 192.1012, Found: 192.1007.

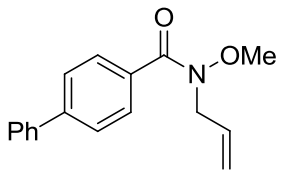


***N*-Allyl-*N*-methoxy-4-methylbenzamide** (**1b**, 88% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.59 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 6.01–5.91 (m, 1H), 5.34–5.24 (m, 2H), 4.32 (d, *J* = 6.0 Hz, 2H), 3.57 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 169.8, 141.0, 132.3, 131.2, 128.7, 128.2, 118.2, 61.9, 50.1, 21.4. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{12}H_{16}NO_2$: 206.1181, Found: 206.1184.

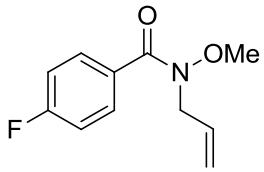


***N*-Allyl-4-(*tert*-butyl)-*N*-methoxybenzamide** (**1c**, 80% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.63 (d, *J* = 8.0 Hz, 2H), 7.41 (d, *J* = 7.6 Hz, 2H), 6.01–5.91 (m, 1H), 5.35–5.24 (m, 2H), 4.33 (d, *J* = 5.6 Hz, 2H), 3.60 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 169.8, 154.1, 132.3, 131.2, 128.0, 125.0, 118.2,

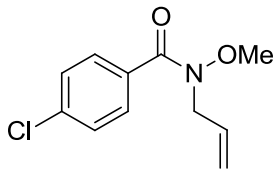
62.0, 50.1, 34.8, 31.2. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{15}H_{22}NO_2$: 248.1651, Found: 248.1651.



***N*-Allyl-4-phenyl-*N*-methoxybenzamide** (**1d**, 86% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.80 (d, *J* = 8.4 Hz, 2H), 7.65–7.62 (m, 2H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.38 (t, *J* = 7.4 Hz, 2H), 6.05–5.96 (m, 1H), 5.39–5.28 (m, 2H), 4.39 (d, *J* = 6.0 Hz, 2H), 3.62 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 169.5, 143.5, 140.2, 132.9, 132.2, 128.9, 128.8, 127.9, 127.2, 126.7, 118.4, 62.1, 45.0. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{17}H_{18}NO_2$: 268.1338, Found: 268.1336.

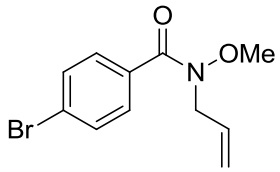


***N*-Allyl-4-fluoro-*N*-methoxybenzamide** (**1e**, 87% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.69-7.68 (m, 2H), 7.05–7.02 (m, 2H), 5.94–5.86 (m, 1H), 5.30–5.20 (m, 2H), 4.29 (s, 2H), 3.49 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 168.4, 164.0 (d, *J* = 249.5 Hz), 132.0, 130.7 (d, *J* = 8.7 Hz), 130.06 (d, *J* = 3.1 Hz), 118.4, 115.0 (d, *J* = 21.6 Hz), 61.9, 49.6. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{11}H_{13}FNO_2$: 210.0930, Found: 210.0934.

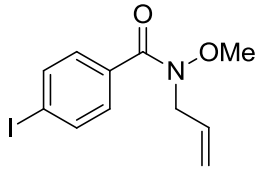


***N*-Allyl-4-chloro-*N*-methoxybenzamide** (**1f**, 91% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.65 (d, *J* = 8.0 Hz, 2H), 7.37 (d, *J* = 8.4 Hz, 2H), 5.99–5.90 (m, 1H), 5.35–5.25 (m, 2H), 4.33 (d, *J* = 6.0 Hz, 2H), 3.54 (s, 3H); ^{13}C NMR (100 MHz,

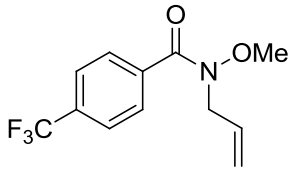
$CDCl_3$) δ: 168.5, 136.8, 132.4, 131.9, 129.7, 128.3, 118.5, 62.0, 49.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{11}H_{13}ClNO_2$: 226.0635, Found: 226.0636.



***N*-Allyl-4-bromo-*N*-methoxybenzamide** (**1g**, 81% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.60–7.53 (m, 4H), 6.00–5.90 (m, 1H), 5.36–5.26 (m, 2H), 4.34 (d, *J* = 6.0 Hz, 2H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 168.6, 132.9, 131.9, 131.3, 129.9, 125.2, 118.6, 62.1, 49.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{11}H_{13}BrNO_2$: 270.0130, Found: 270.0128.

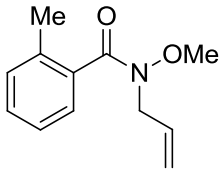


***N*-Allyl-4-iodo-*N*-methoxybenzamide** (**1h**, 80% yield)**:** White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (d, *J* = 8.0 Hz, 2H), 7.43 (d, *J* = 8.0 Hz, 2H), 6.00–5.90 (m, 1H), 5.35–5.26 (m, 2H), 4.35–4.33 (m, 2H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 168.7, 137.3, 133.5, 131.9, 129.9, 118.6, 97.4, 62.1, 49.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{11}H_{13}INO_2$: 317.9991, Found: 317.9988.

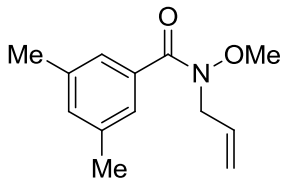


***N*-Allyl-*N*-methoxy-4-(trifluoromethyl)benzamide** (**1i**, 84% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.79 (d, *J* = 8.0 Hz, 2H), 7.67 (d, *J* = 8.0 Hz, 2H), 6.01–5.91 (m, 1H), 5.37–5.27 (m, 2H), 4.36 (d, *J* = 6.0 Hz, 2H), 3.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 168.3, 137.7, 132.3 (q, *J* = 32.4 Hz), 131.7, 128.5, 125.0 (q, *J* = 3.7 Hz), 123.7 (q, *J* = 267.7 Hz), 118.7, 62.1, 49.4. HRMS (ESI) ($[M+H]^+$)

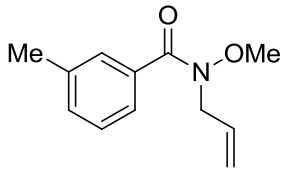
Calcd. for $C_{12}H_{13}F_3NO_2$: 260.0898, Found: 260.0896.



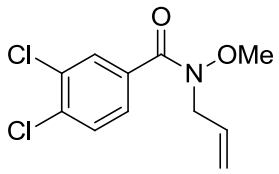
***N*-Allyl-*N*-methoxy-2-methylbenzamide** (**1j**, 89% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.36–7.34 (m, 2H), 7.16–7.14 (m, 2H), 5.88–5.80 (m, 1H), 5.23–5.13 (m, 2H), 4.20 (s, 2H), 3.46 (s, 3H), 2.26 (s, 3H) ; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 169.9, 137.6, 134.2, 132.2, 131.2, 128.5, 127.8, 124.9, 118.1, 61.8, 49.9, 21.2. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{12}H_{16}NO_2$: 206.1181, Found: 206.1180.



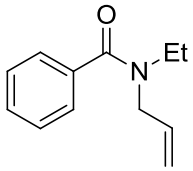
***N*-Allyl-*N*-methoxy-3,5-dimethylbenzamide** (**1k**, 85% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.24 (s , 2H), 7.07 (s, 1H), 5.99–5.90 (m, 1H), 5.33–5.23 (m, 2H), 4.29 (d, *J* = 5.6 Hz, 2H), 3.58 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 170.3, 137.6, 134.3, 132.3, 132.1, 125.5, 118.3, 61.9, 50.2, 21.2. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{13}H_{18}NO_2$: 220.1338, Found: 220.1337.



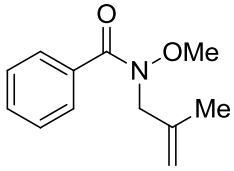
***N*-Allyl-*N*-methoxy-3-methylbenzamide** (**1l**, 87% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.46–7.43 (m, 2H), 7.29–7.24 (m, 2H), 6.00–5.90 (m, 1H), 5.34–5.24 (m, 2H), 4.31 (d, *J* = 5.6 Hz, 2H), 3.57 (s, 3H), 2.37 (s, 3H) ; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 170.1, 137.8, 134.3, 132.2, 131.3, 128.6, 127.9, 125.0, 118.3, 61.9, 50.1, 21.3. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{12}H_{16}NO_2$: 206.1181, Found: 206.1177.



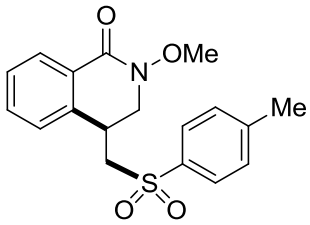
***N*-Allyl-3,4-dichloro-*N*-methoxybenzamide** (**1m**, 90% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.82 (s, 1H), 7.58–7.55 (m, 1H), 7.48 (d, *J* = 8.4 Hz, 1H), 5.99–5.90 (m, 1H), 5.36–5.27 (m, 2H), 4.35 (d, *J* = 6.0 Hz, 2H), 3.55 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 167.1, 135.1, 133.7, 132.4, 131.7, 130.5, 130.1, 127.7, 118.8, 62.2, 49.4. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{11}H_{12}Cl_2NO_2$: 260.0245, Found: 260.0241.



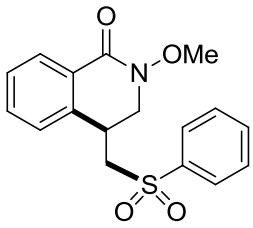
***N*-Allyl-*N*-ethylbenzamide** (**1n**, 82% yield)**:**[2] Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.37 (s, 5H), 5.87–5.73 (m, 1H), 5.21– 5.19 (m, 2H), 4.13–3.25 (m, 4H), 1.20–1.08 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 171.5, 136.8, 133.5, 129.3, 128.3, 126.4, 117.3, 51.2, 46.7, 43.1, 39.8, 13.8, 12.4.



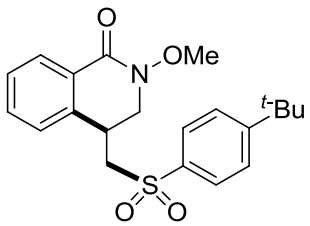
***N*-Methoxy-*N*-(2-methylallyl)benzamide** (**1o**, 86% yield)**:** Colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.65–7.63 (m, 2H), 7.39–7.34 (m, 3H), 4.95 (d, *J* = 14.8 Hz, 2H), 4.26 (s, 2H), 3.48-3.47 (m, 3H), 1.76 (s, 3H) ; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 169.7, 140.0, 134.3, 130.5, 128.0, 113.5, 61.5, 52.2, 20.0. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{12}H_{16}NO_2$: 206.1181, Found: 206.1184.



2-Methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3a**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.08 (d, *J* = 7.6 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 2H), 7.45–7.42 (m, 1H), 7.38–7.33 (m, 3H), 7.09 (d, *J* = 7.6 Hz, 1H), 4.29–4.26 (m, 1H), 4.03–3.99 (m, 1H), 3.89 (s, 3H), 3.74 (d, *J* = 10.4 Hz, 1H), 3.65–3.59 (m, 1H), 3.06–3.03 (m, 1H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 145.3, 138.4, 136.5, 133.0, 130.2, 128.8, 128.3, 128.2, 127.8, 126.8, 61.9, 58.0, 50.4, 34.3, 21.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{20}NO_4S$: 346.1108, Found: 346.1115.

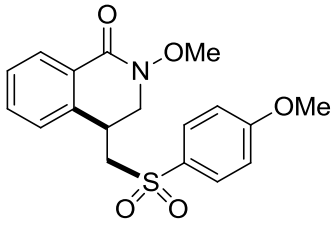


2-Methoxy-4-((phenylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3b**)**:** White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.09 (d, *J* = 6.8 Hz, 1H), 7.94 (d, *J* = 7.6 Hz, 2H), 7.69 (t, *J* = 7.0 Hz, 1H), 7.60 (t, *J* = 7.0 Hz, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.3 6 (t, *J* = 7.0 Hz, 1H), 7.10 (d, *J* = 7.6 Hz, 1H), 4.28 (d, *J* = 12.0 Hz, 1H), 4.05–4.02 (m, 1H), 3.90 (s, 3H), 3.78 (d, *J* = 10.0 Hz, 1H), 3.68–3.62 (m, 1H), 3.08 (d, *J* = 14.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 139.5, 138.3, 134.2, 133.0, 129.6, 128.8, 128.4, 128.2, 127.8, 126.7, 61.9, 58.0, 50.5, 34.3. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{18}NO_4S$: 332.0951, Found: 332.0955.

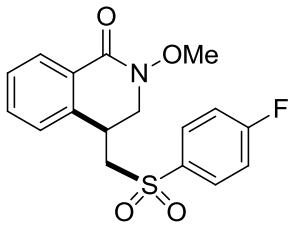


4-(((4-(*tert*-Butyl)phenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3c**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12 (d, *J* = 7.6 Hz, 1H),

7.87 (d, *J* = 8.4 Hz, 2H), 7.61 (d, *J* = 8.4 Hz, 2H), 7.48–7.44 (m, 1H), 7.38 (t, *J* = 7.2 Hz, 1H), 7.14 (d, *J* = 7.6 Hz, 1H), 4.33–4.30 (m, 1H), 4.08–4.04 (m, 1H), 3.92 (s, 3H), 3.82 (d, *J* = 10.0 Hz, 1H), 3.68–3.62 (m, 1H), 3.10–3.06 (m, 1H), 1.36 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 158.3, 138.4, 136.5, 133.0, 128.9, 128.32, 128.25, 127.7, 126.7, 126.6, 61.9, 58.1, 50.5, 35.3, 34.3, 31.0. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{21}H_{26}NO_4S$: 388.1577, Found: 388.1581.

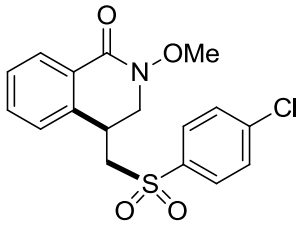


2-methoxy-4-(((4-methoxyphenyl)sulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3d**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.13 (d, *J* = 7.6 Hz, 1H), 7.88 (d, *J* = 8.8 Hz, 2H), 7.49–7.45 (m, 1H), 7.39 (t, *J* = 7.4 Hz, 1H), 7.13 (d, *J* = 7.6 Hz, 1H), 7.06 (d, *J* = 9.2 Hz, 2H), 4.33–4.30 (m, 1H), 4.07–4.03 (m, 1H), 3.93 (s, 3H), 3.90 (s, 3H), 3.78 (d, *J* = 10.4 Hz, 1H), 3.67–3.61 (m, 1H), 3.08–3.04 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 164.1, 162.8, 138.5, 133.0, 131.0, 130.0, 128.9, 128.3, 128.2, 126.7, 114.8, 61.9, 58.3, 55.8, 50.5, 34.4. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{20}NO_5S$: 362.1057, Found: 362.1056.

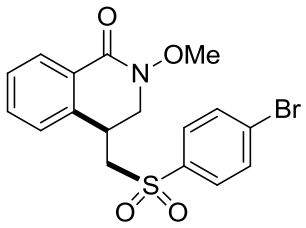


4-(((4-Fluorophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3e**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$)δ: 8.10 (d, *J* = 7.6 Hz, 1H), 7.98–7.94 (m, 2H), 7.46 (t, *J* = 7.0 Hz, 1H), 7.38 (t, *J* = 7.0 Hz, 1H), 7.29–7.25 (m, 2H), 7.13 (d, *J* = 7.6 Hz, 1H), 4.30–4.27 (m, 1H), 4.08–4.04 (m, 1H), 3.91 (s, 3H), 3.80 (d, *J* = 9.2 Hz, 1H), 3.69–3.63 (m, 1H), 3.09–3.05 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 166.1 (d, *J* = 256.0 Hz), 162.8, 138.2, 135.6 (d, *J* = 3.1 Hz), 133.0, 130.7 (d,

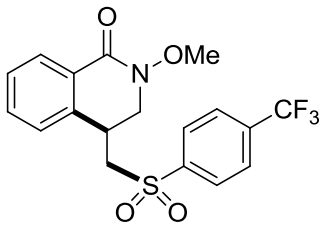
J = 38.0 Hz), 128.9, 128.4, 128.2, 126.7, 117.0 (d, *J* = 22.6 Hz), 61.9, 58.2, 50.5, 34.3. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{17}H_{17}FNO_4S$: 350.0857, Found: 350.0858.



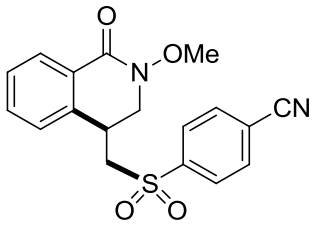
4-(((4-Chlorophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3f**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.13 (d, *J* = 7.6 Hz, 1H), 7.89 (d, *J* = 8.8 Hz, 2H), 7.58 (d, *J* = 8.8 Hz, 2H), 7.49–7.45 (m, 1H), 7.40 (t, *J* = 7.4 Hz, 1H), 7.14 (d, *J* = 7.6 Hz, 1H), 4.32–4.28 (m, 1H), 4.09–4.05 (m, 1H), 3.93 (s, 3H), 3.81 (d, *J* = 10.0 Hz, 1H), 3.70–3.63 (m, 1H), 3.10–3.06 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 141.1, 138.1, 138.0, 133.1, 130.0, 129.3, 129.0, 128.5, 128.3, 126.7, 62.0, 58.1, 50.6, 34.3. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{17}H_{17}ClNO_4S$: 366.0562, Found: 366.0568.



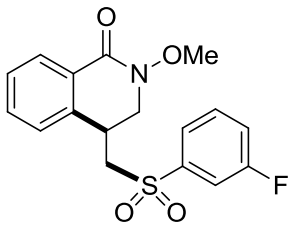
4-(((4-Bromophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3g**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12–8.10 (m, 1H), 7.80 (d, *J* = 8.4 Hz, 2H), 7.73 (d, *J* = 8.4 Hz, 2H), 7.46 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.0 Hz, 1H), 7.13 (d, *J* = 7.6 Hz, 1H), 4.28 (d, *J* = 12.0 Hz, 1H), 4.06 (d, *J* = 12.0 Hz, 1H), 3.91 (s, 3H), 3.79 (d, *J* = 10.4 Hz, 1H), 3.68–3.62 (m, 1H), 3.09–3.05 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 138.5, 138.1, 133.1, 133.0, 129.6, 129.3, 128.9, 128.5, 128.2, 126.7, 62.0, 58.0, 50.5, 34.2. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{17}H_{17}BrNO_4S$: 410.0056, Found: 410.0060.



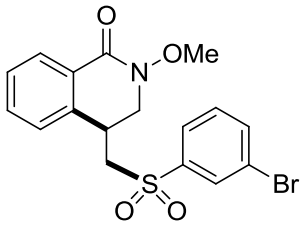
2-Methoxy-4-(((4-(trifluoromethyl)phenyl)sulfonyl)methyl)-3,4-dihydroisoquinoli n-1(2*H*)-one (**3h**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.14–8.09 (m, 3H), 7.88 (d, *J* = 8.0 Hz, 2H), 7.50–7.46 (m, 1H), 7.40 (t, *J* = 7.2 Hz, 1H), 7.16 (d, *J* = 7.2 Hz, 1H), 4.33–4.29 (m, 1H), 4.12–4.08 (m, 1H), 3.94 (s, 3H), 3.86 (d, *J* = 8.8 Hz, 1H), 3.73–3.67 (m, 1H), 3.14–3.10 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 143.0, 137.9, 136.0 (q, *J* = 33.2 Hz), 133.1, 129.0, 128.6, 128.5, 128.3, 126.78 (q, *J* = 3.4 Hz), 126.74, 123.0 (q, *J* = 271.4 Hz), 62.0, 58.0, 50.6, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{17}F_3NO_4S$: 400.0825, Found: 400.0831.



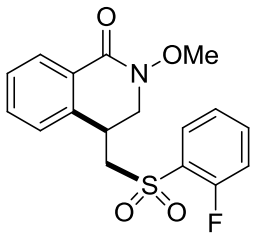
4-(((2-Methoxy-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)methyl)sulfonyl)benzoni trile (**3i**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12–8.07 (m, 3H), 7.90 (d, *J* = 8.4 Hz, 2H), 7.49–7.46 (m, 1H), 7.40 (t, *J* = 7.2 Hz, 1H), 7.16 (d, *J* = 7. 2 Hz, 1H), 4.29–4.26 (m, 1H), 4.12–4.08 (m, 1H), 3.93 (s, 3H), 3.86 (d, *J* = 10.4 Hz, 1H), 3.73–3.67 (m, 1H), 3.13–3.09 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.7, 143.5, 137.8, 133.4, 133.1, 129.0, 128.63, 128.56, 128.3, 126.8, 118.1, 116.8, 62.0, 57.9, 50.6, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{17}N_2O_4S$: 357.0904, Found: 357.0906.



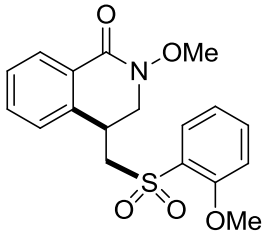
4-(((4-fluorophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3j**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.09 (d, *J* = 7.6 Hz, 1H), 7.73 (d, *J* = 7.6 Hz, 1H), 7.65-7.57 (m, 2H), 7.45 (t, *J* = 7.2 Hz, 1H), 7.41–7.35 (m, 2H), 7.13 (d, *J* = 7. 6 Hz, 1H), 4.29–4.25 (m, 1H), 4.07–4.03 (m, 1H), 3.91 (s, 3H), 3.80 (d, *J* = 9.6 Hz, 1H), 3.70–3.64 (m, 1H), 3.11–3.07 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.5 (d, *J* = 251.9 Hz), 162.7, 141.4 (d, *J* = 6.3 Hz), 137.9, 133.0, 131.5 (d, *J* = 7.6 Hz), 128.8, 128.4, 128.1, 126.7, 123.5 (d, *J* = 3.4 Hz), 121.4 (d, *J* = 21.1 Hz), 115.1 (d, *J* = 24.2 Hz), 61.9, 57.8, 50.4, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{17}FNO_4S$: 350.0857, Found: 350.0857.



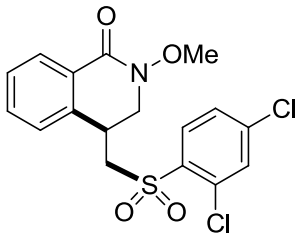
4-(((3-Bromophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (3k): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.13 (d, *J* = 7.6 Hz, 1H), 8.09 (s, 1H), 7.88 (d, *J* = 7.6 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.51–7.47 (m, 2H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 7.6 Hz, 1H), 4.29 (d, *J* = 12.0 Hz, 1H), 4.10–4.07 (m, 1H), 3.94 (s, 3H), 3.84 (d, *J* = 10.0 Hz, 1H), 3.71–3.65 (m, 1H), 3.10 (d, *J* = 14.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 141.3, 138.0, 137.3, 133.1, 131.2, 130.7, 128.9, 128.5, 128.2, 126.8, 126.3, 123.6, 62.0, 58.0, 50.6, 34.2. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{17}BrNO_4S$: 410.0056, Found: 410.0061.



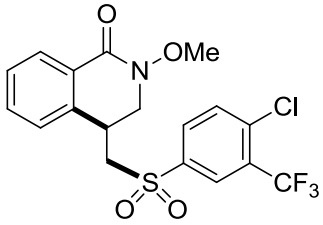
4-(((2-Fluorophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3l**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.14 (d, *J* = 7.6 Hz, 1H), 7.80 (t, *J* = 7.2 Hz, 1H), 7.72–7.67 (m, 1H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.42–7.37 (m, 2H), 7.27 (t, *J* = 9.0 Hz, 1H), 7.20 (d, *J* = 7.6 Hz, 1H), 4.30 (d, *J* = 12.4 Hz, 1H), 4.08–4.05 (m, 1H), 3.94 (s, 3H), 3.91–3.85 (m, 2H), 3.31 (d, *J* = 12.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 159.5 (d, *J* = 254.2 Hz), 138.1, 136.7 (d, *J* = 8.3 Hz), 133.1, 130.2, 128.9, 128.4, 128.3, 127.4 (d, *J* = 14.5 Hz), 126.8, 125.1 (d, *J* = 3.6 Hz), 117.4 (d, *J* = 21.1 Hz), 62.0, 57.4 (d, *J* = 2.7 Hz), 50.6, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{17}FNO_4S$: 350.0857, Found: 350.0859.



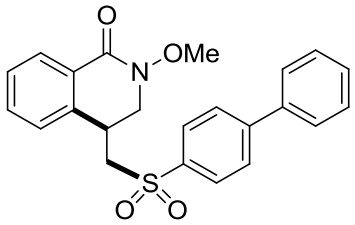
2-Methoxy-4-(((2-methoxyphenyl)sulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3m**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12 (d, *J* = 7.6 Hz, 1H), 8.05 (d, *J* = 8.0 Hz, 1H), 7.55 (t, *J* = 7.2 Hz, 1H), 7.49–7.45 (m, 1H), 7.44–7.35 (m, 3H), 7.13 (d, *J* = 7.6 Hz, 1H), 4.31–4.27 (m, 1H), 4.06–4.03 (m, 1H), 3.91 (s, 3H), 3.84 (d, *J* = 10.8 Hz, 1H), 3.75–3.69 (m, 1H), 3.09–3.06 (m, 1H), 2.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.0, 138.4, 137.8, 137.6, 134.2, 133.1, 133.0, 129.9, 128.9, 128.4, 128.3, 127.0, 126.7, 62.0, 57.1, 50.6, 34.2. 20.3. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{20}NO_5S$: 362.1057, Found: 362.1060.



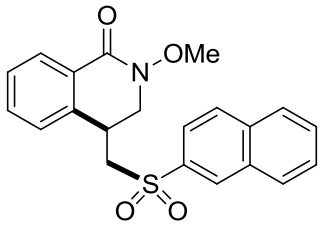
4-(((2,4-Dichlorophenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3n**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.15–8.10 (m, 2H), 7.59 (s, 1H), 7.51–7.47 (m, 2H), 7.41 (t, *J* = 7.4 Hz, 1H), 7.19 (d, *J* = 7.6 Hz, 1H), 4.26–4.23 (m, 1H), 4.08–4.04 (m, 1H), 3.99–3.93 (m, 4H), 3.84 (d, *J* = 10.4 Hz, 1H), 3.41–3.37 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 141.4, 137.9, 135.6, 133.7, 133.1, 132.4, 131.9, 129.0, 128.5, 128.3, 128.1, 126.8, 62.1, 56.3, 50.6, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{16}Cl_2NO_4S$: 400.0172, Found: 400.0176.



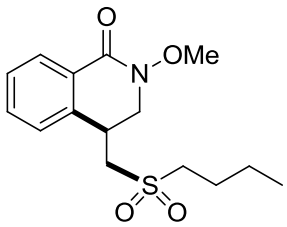
4-(((4-Chloro-3-(trifluoromethyl)phenyl)sulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3o**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 8.13 (d, *J* = 7.6 Hz, 1H), 8.07–8.04 (m, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.49 (t, *J* = 7.2 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 7.2 Hz, 1H), 4.31–4.27 (m, 1H), 4.13–4.09 (m, 1H), 3.94 (s, 3H), 3.91–3.88 (m, 1H), 3.73–3.67 (m, 1H), 3.15–3.11 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.8, 139.2, 138.7, 137.7, 133.1, 133.0, 132.0, 130.2 (q, *J* = 32.5 Hz), 129.0, 128.6, 128.3, 127.3 (q, *J* = 5.3 Hz), 126.8, 121.8 (q, *J* = 272.3 Hz), 62.0, 58.2, 50.7, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{16}ClF_3NO_4S$: 434.0435, Found: 434.0440.



4-(([1,1'-Biphenyl]-4-ylsulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3p**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.13 (d, *J* = 7.6 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 2H), 7.79 (d, *J* = 8.4 Hz, 2H), 7.60 (d, *J* = 6.8 Hz, 2H), 7.51–7.44 (m, 4H), 7.38 (t, *J* = 7.4 Hz, 1H), 7.16 (d, *J* = 7.6 Hz, 1H), 4.36–4.33 (m, 1H), 4.09–4.06 (m, 1H), 3.94 (s, 3H), 3.84 (d, *J* = 10.4 Hz, 1H), 3.74–3.68 (m, 1H), 3.16–3.12 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 147.2, 138.9, 138.3, 137.9, 133.1, 129.2, 128.90, 128.87, 128.4, 128.3, 128.22, 128.19, 127.4, 126.8, 62.0, 58.1, 50.5, 34.3. HRMS (ESI) ($[M+H]^+$) Calcd. for:$C_{23}H_{22}NO_4S$: 408.1265, Found: 408.1271.

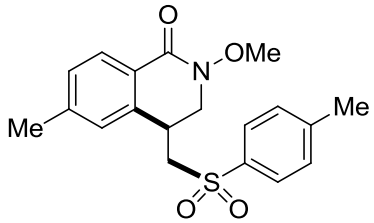


2-Methoxy-4-((naphthalen-2-ylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3q**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.53 (s, 1H), 8.11 (d, *J* = 7.6 Hz, 1H), 8.05–8.00 (m, 2H), 7.95 (d, *J* = 8.0 Hz, 1H), 7.90–7.87 (m, 1H), 7.72–7.64 (m, 2H), 7.45–7.42 (m, 1H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.11 (d, *J* = 7.2 Hz, 1H), 4.36–4.32 (m, 1H), 4.09–4.05 (m, 1H), 3.93 (s, 3H), 3.83 (d, *J* = 10.4 Hz, 1H), 3.77–3.71 (m, 1H), 3.17 (d, *J* = 14.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 138.3, 136.2, 135.4, 133.0, 132.2, 130.1, 129.8, 129.6, 129.4, 128.9, 128.4, 128.2, 128.1, 128.0, 126.8, 122.1, 62.0, 58.0, 50.5, 34.4. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{21}H_{20}NO_4S$: 382.1108, Found: 382.1112.

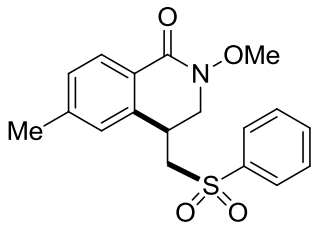


4-((Butylsulfonyl)methyl)-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (3r)

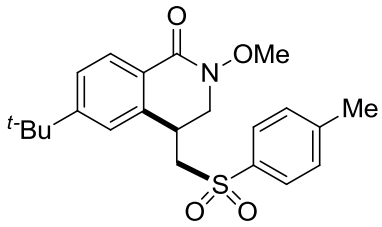
colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.17 (d, *J* = 7.6 Hz, 1H), 7.53 (t, *J* = 7.2 Hz, 1H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.29 (d, *J* = 7.6 Hz, 1H), 4.26–4.23 (m, 1H), 4.10–4.06 (m, 1H), 3.92–3.89 (m, 4H), 3.57–3.51 (m, 1H), 3.01–2.97 (m, 3H), 1.87–1.78 (m, 2H), 1.50–1.44 (m, 2H), 0.96 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.7, 138.2, 133.0, 128.9, 128.4, 128.3, 126.8, 61.8, 54.5, 54.0, 50.6, 33.3, 23.9, 21.6, 13.3. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{15}H_{22}NO_4S$: 312.1264, Found: 312.1266.



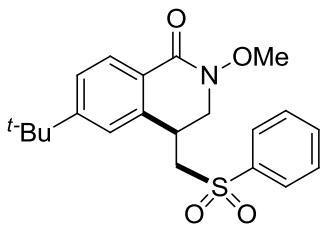
2-Methoxy-6-methyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3s**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.95 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.14 (d, *J* = 7.6 Hz, 1H), 6.86 (s, 1H), 4.24–4.21(m, 1H), 3.98–3.95 (m, 1H), 3.87 (s, 3H), 3.68 (d, *J* = 10.0 Hz, 1H), 3.63–3.57 (m, 1H), 3.03 (d, *J* = 13.6 Hz, 1H), 2.43 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.2, 145.3, 143.8, 138.4, 136.6, 130.2, 129.1, 128.8, 127.8, 127.2, 125.5, 61.9, 58.1, 50.6, 34.3, 21.6, 21.5. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{19}H_{22}NO_4S$: 360.1265, Found: 360.1265.



2-Methoxy-6-methyl-4-((phenylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3t**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.97–7.92 (m, 3H), 7.68 (t, *J* = 7.4 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 1H), 6.87 (s, 1H), 4.26–4.22 (m, 1H), 4.00–3.96 (m, 1H), 3.88 (s, 3H), 3.05 (d, *J* = 13.2 Hz, 1H), 2.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.2, 143.9, 139.5, 138.3, 134.2, 129.6, 129.2, 128.9, 127.8, 127.2, 125.5, 61.9, 58.0, 50.6, 34.3, 21.5. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{20}NO_4S$: 346.1108, Found: 346.1110.

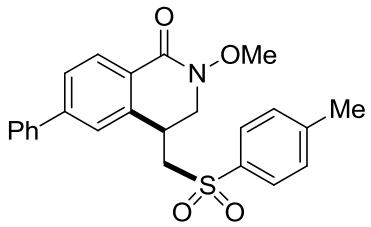


6-(*tert*-Butyl)-2-methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3u**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.01 (d, *J* = 8.4 Hz, 1H), 7.83 (d, *J* = 8.4 Hz, 2H), 7.40–7.37 (m, 3H), 7.03 (s, 1H), 4.26–4.23 (m, 1H), 4.02–3.98 (m, 1H), 3.89 (s, 3H), 3.75 (d, *J* = 10.4 Hz, 1H), 3.68–3.62 (m, 1H), 3.06–3.03 (m, 1H), 2.46 (s, 3H), 1.27 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.2, 157.0, 145.3, 138.2, 136.7, 130.2, 128.7, 127.9, 125.53, 125.50, 123.4, 61.9, 58.3, 50.7, 35.1, 34.7, 31.0, 21.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{22}H_{28}NO_4S$: 402.1734, Found: 402.1738.

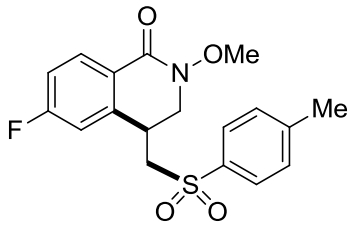


6-(*tert*-Butyl)-2-methoxy-4-((phenylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3v**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.02 (d, *J* = 8.0 Hz, 1H),

7.97 (d, *J* = 7.6 Hz, 2H), 7.70 (t, *J* = 7.2 Hz, 1H), 7.61 (t, *J* = 7.6 Hz, 2H), 7.41–7.38 (m, 1H), 7.06 (s, 1H), 4.29–4.26 (m, 1H), 4.04–4.00 (m, 1H), 3.90 (s, 3H), 3.79 (d, *J* = 10.4 Hz, 1H), 3.71–3.65 (m, 1H), 3.07 (d, *J* = 13.2 Hz, 1H), 1.28 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.1, 157.1, 139.6, 138.1, 134.2, 129.6, 128.7, 127.8, 125.6, 125.5, 123.5, 61.9, 58.1, 50.7, 35.1, 34.6, 31.0. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{21}H_{26}NO_4S$: 388.1577, Found: 388.1581.

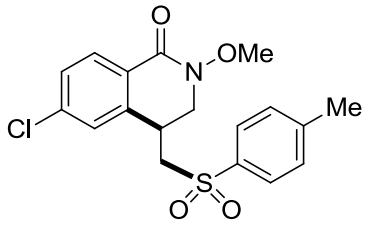


2-Methoxy-6-phenyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3w**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.17 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 8.4 Hz, 2H), 7.60–7.58 (m, 1H), 7.54 (d, *J* = 7.2 Hz, 2H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.41–7.37 (m, 3H), 7.29 (s, 1H), 4.33–4.29 (m, 1H), 4.09–4.06 (m, 1H), 3.94 (s, 3H), 3.86 (d, *J* = 9.6 Hz, 1H), 3.71–3.65 (m, 1H), 3.14–3.10 (m, 1H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 145.9, 145.3, 139.3, 139.0, 136.6, 130.2, 129.4, 129.0, 128.4, 127.8, 127.2, 127.0, 126.9, 125.3, 62.0, 58.3, 50.7, 34.5, 21.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{24}H_{24}NO_4S$: 422.1421, Found: 422.1422.

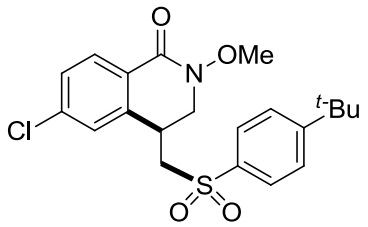


6-Fluoro-2-methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3x**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.13–8.09 (m, 1H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.39 (d, *J* = 7.6 Hz, 2H), 7.06–7.02 (m, 1H), 6.81–6.78 (m, 1H), 4.28–4.24 (m, 1H), 4.05–4.01 (m, 1H), 3.90 (s, 3H), 3.73 (d, *J* = 8.8 Hz, 1H), 3.65–3.59 (m, 1H), 3.06 (d, *J* = 14.0, 1H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 165.2 (d, *J* =

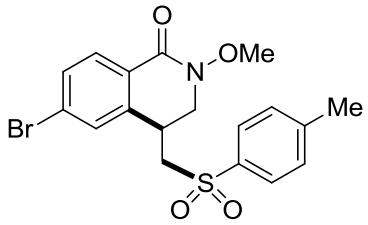
253.7 Hz), 162.2, 145.5, 141.2 (d, *J* = 8.5 Hz), 136.4, 131.8 (d, *J* = 9.5 Hz), 130.3, 127.8, 124.6 (d, *J* = 2.9 Hz), 115.7 (d, *J* = 21.7 Hz), 113.7 (d, *J* = 22.4 Hz), 62.0, 57.8, 50.5, 34.3, 21.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{19}FNO_4S$: 364.1013, Found: 364.1018.



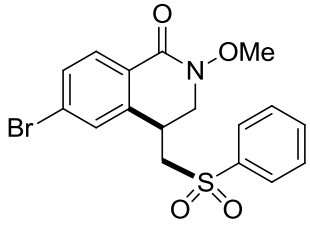
6-Chloro-2-methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3y**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.03 (d, *J* = 8.4 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.39 (d, *J* = 8.0 Hz, 2H), 7.35–7.32 (m, 1H), 7.08 (s, 1H), 4.30–4.26 (m, 1H), 4.05–4.01 (m, 1H), 3.91 (s, 3H), 3.73 (d, *J* = 8.8 Hz, 1H), 3.64–3.58 (m, 1H), 3.08–3.04 (m, 1H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.1, 145.5, 140.0, 139.1. 136.3, 130.5, 130.3, 128.7, 127.8, 126.8, 126.7, 62.0, 57.9, 50.5, 34.2, 21.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{19}ClNO_4S$: 380.0718, Found: 380.0721.



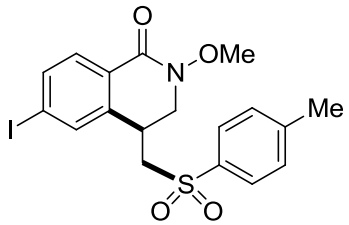
4-(((4-(*tert*-Butyl)phenyl)sulfonyl)methyl)-6-chloro-2-methoxy-3,4-dihydroisoquinolin-1(2*H*)-one (**3z**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.04 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 2H), 7.60 (d, *J* = 8.8 Hz, 2H), 7.34–7.32 (m, 1H), 7.100–7.096 (m, 1H), 4.31–4.27 (m, 1H), 4.06–4.02 (m, 1H), 3.91 (s, 3H), 3.80–3.77 (m, 1H), 3.66–3.60 (m, 1H), 3.10–3.06 (m, 1H), 1.35 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.1, 158.5, 140.0, 139.1. 136.3, 130.5, 128.7, 127.7, 126.9, 126.72, 126.66, 62.0, 57.9, 50.5, 35.3, 34.2, 31.0. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{21}H_{25}ClNO_4S$: 422.1187, Found: 422.1189.



6-Bromo-2-methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3aa**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.92 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 2H), 7.48–7.45 (m, 1H), 7.37 (d, *J* = 8.0 Hz, 2H), 7.22–7.21 (m, 1H), 4.26–4.22 (m, 1H), 4.02–3.98 (m, 1H), 3.88 (s, 3H), 3.71 (d, *J* = 11.2 Hz, 1H), 3.62–3.56 (m, 1H), 3.08–3.04 (m, 1H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.1, 145.5, 140.1, 136.3, 131.7, 130.5, 130.3, 129.8, 127.8, 127.6, 127.2, 62.0, 57.8, 50.5, 34.1, 21.7. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{19}BrNO_4S$: 424.0213, Found: 424.0217.

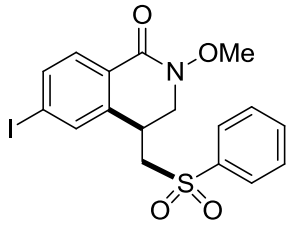


6-Bromo-2-methoxy-4-((phenylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3ab**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.98–7.95 (m, 3H), 7.72 (t, *J* = 7.4 Hz, 1H), 7.62 (t, *J* = 7.6 Hz, 2H), 7.53–7.50 (m, 1H), 7.28 (s, 1H), 4.32–4.29 (m, 1H), 4.07–4.03 (m, 1H), 3.93 (s, 3H), 3.79 (d, *J* = 10.4 Hz, 1H), 3.68–3.62 (m, 1H), 3.10–3.06 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.2, 140.0, 139.3, 134.3, 131.8, 130.6, 129.8, 129.7, 127.8, 127.7, 127.2, 62.0, 57.8, 50.5, 34.1. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{17}BrNO_4S$: 410.0056, Found: 410.0062.

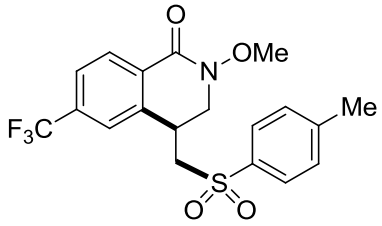


6-Iodo-2-methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3ac**): White

solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.82–7.78 (m, 3H), 7.23–7.05 (m, 1H), 7.44 (s, 1H), 7.40 (d, *J* = 8.0 Hz, 1H), 4.29–4.25 (m, 1H), 4.04–4.00 (m, 1H), 3.91 (s, 3H), 3.72 (d, *J* = 8.0 Hz,1H), 3.63–3.57 (m, 1H), 3.07–3.03 (m, 1H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.3, 145.5, 140.0, 137.7, 136.3, 135.7, 130.4, 130.3, 127.9, 127.8, 100.2, 62.0, 57.9, 50.5, 33.9, 21.7. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{19}INO_4S$: 472.0074, Found: 472.0077.

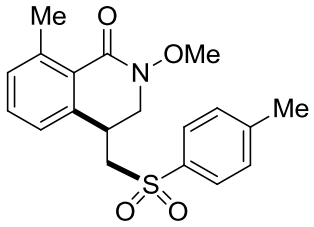


6-Iodo-2-methoxy-4-((phenylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3ad**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.95 (d, *J* = 7.2 Hz, 2H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.74–7.69 (m, 2H), 7.62 (t, *J* = 7.6 Hz, 2H), 7.48 (s, 1H), 4.30–4.27 (m, 1H), 4.06–4.02 (m, 1H), 3.92 (s, 3H), 3.76 (d, *J* = 11.6 Hz, 1H), 3.66–3.60 (m, 1H), 3.09–3.05 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.3, 139.8, 139.3, 137.8, 135.7, 134.3, 130.4, 129.7, 127.81, 127.75, 100.3, 62.0, 57.7, 50.5, 33.9. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{17}H_{17}INO_4S$: 457.9917, Found: 457.9920.

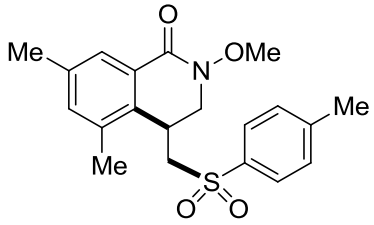


2-Methoxy-4-(tosylmethyl)-6-(trifluoromethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (3ae): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.25 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 2H), 7.64 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.35 (s, 1H), 4.38–4.34 (m, 1H), 4.11–4.07 (m, 1H), 3.95 (s, 3H), 3.87 (d, *J* = 10.4 Hz, 1H), 3.67–3.60 (m, 1H), 3.10–3.06 (m, 1H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 161.3, 145.6, 139.1, 136.3, 134.6 (q, *J* = 32.7 Hz), 131.4, 130.3, 129.6, 127.9, 125.2

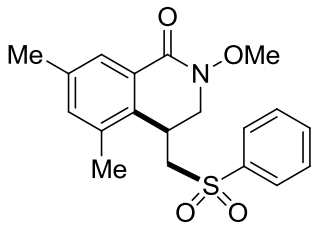
(q, *J* = 5.3 Hz), 123.9 (q, *J* = 3.7 Hz), 123.2 (q, *J* = 271.5 Hz), 62.1, 57.9, 50.4, 34.3, 21.6. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{19}H_{19}F_3NO_4S$: 414.0981, Found: 414.0985.



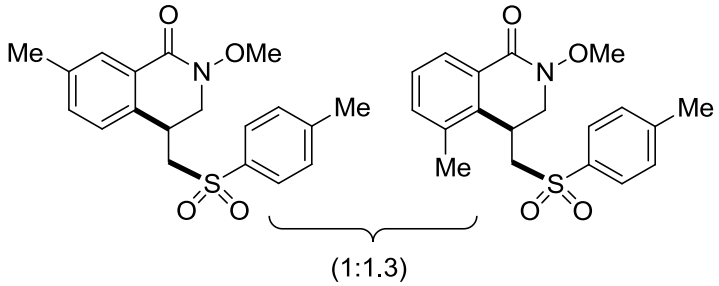
2-Methoxy-8-methyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3af**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.99 (d, *J* = 6.8 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 2H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.31–7.25 (m, 2H), 4.38 (d, *J* = 12.0 Hz, 1H), 3.97–3.92 (m, 4H), 3.85 (d, *J* = 11.2 Hz, 1H), 3.67–3.61 (m, 1H), 2.85 (d, *J* = 14.4 Hz, 1H), 2.46 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.9, 145.4, 136.50, 136.46, 134.8, 134.2, 130.2, 128.6, 128.2, 127.9, 126.9, 61.8, 55.6, 49.7 31.3, 21.6, 18.1. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{19}H_{22}NO_4S$: 360.1264, Found: 360.1271.



2-Methoxy-6,8-dimethyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3ag**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, *J* = 7.6 Hz, 2H), 7.74 (s, 1H), 7.36 (d, *J* = 7.6 Hz, 2H), 7.07 (s, 1H), 4.32 (d, *J* = 12.0 Hz, 1H), 3.87 (s, 4H), 3.72 (d, *J* = 10.4 Hz, 1H), 3.60-3.54 (m, 1H), 2.80 (d, *J* = 14.4 Hz, 1H), 2.42 (s, 3H), 2.25 (s, 3H), 2.07 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.1, 145.3, 138.0, 136.4, 135.6, 134.1, 133.6, 130.2, 128.3, 127.9, 127.1, 61.7, 55.6, 49.8, 31.0, 21.6, 20.8, 17.9. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{20}H_{24}NO_4S$: 374.1421, Found: 374.1422.

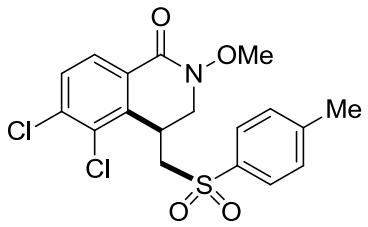


2-Methoxy-5,7-dimethyl-4-((phenylsulfonyl)methyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3ah**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.92 (d, *J* = 7.6 Hz, 2H), 7.76 (s, 1H), 7.67 (d, *J* = 6.8 Hz, 1H), 7.60–7.56 (m, 2H), 7.07 (s, 1H), 4.33 (d, *J* = 11.6 Hz, 1H), 3.91–3.88 (m, 4H), 3.75 (d, *J* = 10.4 Hz, 1H), 3.63–3.57 (m, 1H), 2.82 (d, *J* = 14.0 Hz, 1H), 2.25 (s, 3H), 2.07 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.1, 139.3, 138.1, 135.7, 134.2, 134.0, 133.5, 129.6, 128.3, 127.9, 127.2, 61.7, 55.6, 49.8, 30.9, 20.8, 17.9. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{19}H_{22}NO_4S$: 360.1265, Found: 360.1265.

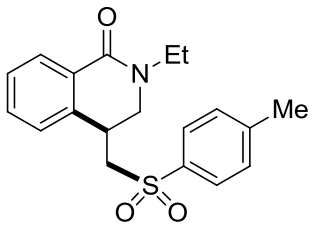


2-Methoxy-5-methyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (3ai) and 2-Methoxy-7-methyl-4-(tosylmehyl)-3,4-dihydroisoquinolin-1(2*H*)-one (1:1) (3ai'): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.96–7.94 (m, 0.57H), 7.88 (s, 0.43H), 7.79 (t, *J* = 8.2 Hz, 2H), 7.38–7.35 (m, 2H), 7.28–7.22 (m, 1.58H), 6.96 (d, *J* = 7.6 Hz, 0.42H), 4.37–4.34 (m, 0.56H), 4.25–4.22 (m, 0.44H), 3.99–3.95 (m, 0.42H), 3.94–3.91 (m, 0.57H), 3.89 (s, 1.73H), 3.88 (s, 1.27H), 3.81–3.78 (m, 0.57H), 3.68 (d, *J* = 10.4 Hz, 0.43H), 3.64–3.61 (m, 0.58H), 3.59–3.55 (m, 0.43H), 3.04–3.00 (m, 0.44H), 2.83 (d, *J* = 14.4 Hz, 0.56H), 2.43 (s, 3H), 2.31 (s, 1.29H), 2.14 (s, 1.71H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.0, 162.9, 145.4, 145.3, 138.3, 136.54, 136.49, 136.4, 135.4, 134.8, 134.2, 133.7, 130.22, 130.18, 129.0, 128.6, 128.1, 127.92, 127.89, 127.8, 126.9, 126.7, 61.9, 61.8, 58.1, 55.5, 50.5, 49.7, 34.0, 31.3, 21.6, 20.9, 18.4,

18.0. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{19}H_{22}NO_4S$: 360.1264, Found: 360.1270.

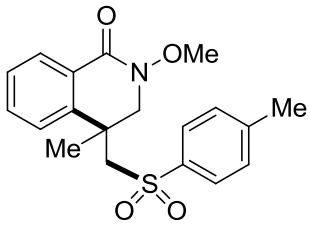


5,6-Dichloro-2-methoxy-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3aj**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.00 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.49 (d, *J* = 8.4 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 4.53 (d, *J* = 10.8 Hz, 1H), 3.98–3.90 (m, 5H), 3.57–3.51 (m, 1H), 3.06 (d, *J* = 14.0 Hz, 1H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 161.1, 145.5, 137.9, 137.6, 135.9, 130.28, 130.26, 130.22, 130.19, 128.5, 128.1, 128.0, 127.8, 62.1, 58.3, 49.0, 33.2, 21.6. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{18}H_{18}INO_3S$: 382.1113, Found: 382.1112. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{18}H_{18}Cl_2NO_4S$:414.0328, Found: 414.0331.



3ak

2-Ethyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2H)-one (**3ak**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.04 (d, *J* = 7.6 Hz, 1H), 7.78 (d, *J* = 8.0 Hz, 2H), 7.41–7.31 (m, 4H), 7.07 (d, *J* = 7.6 Hz, 1H), 3.98–3.95 (m, 1H), 3.85–3.81 (m, 1H), 3.66–3.59 (m, 3H), 3.52–3.46 (m, 1H), 2.99 (d, *J* = 14.0 Hz, 1H), 2.44 (s, 3H), 1.25 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.3, 145.1, 138.9, 136.6, 132.1, 130.0, 129.0, 128.6, 128.0, 127.6, 126.2, 57.5, 47.8, 42.1, 32.7, 21.5, 12.5. HRMS (ESI) ([M+H]$^+$) Calcd. for $C_{19}H_{22}NO_3S$: 344.1315, Found: 344.1321.



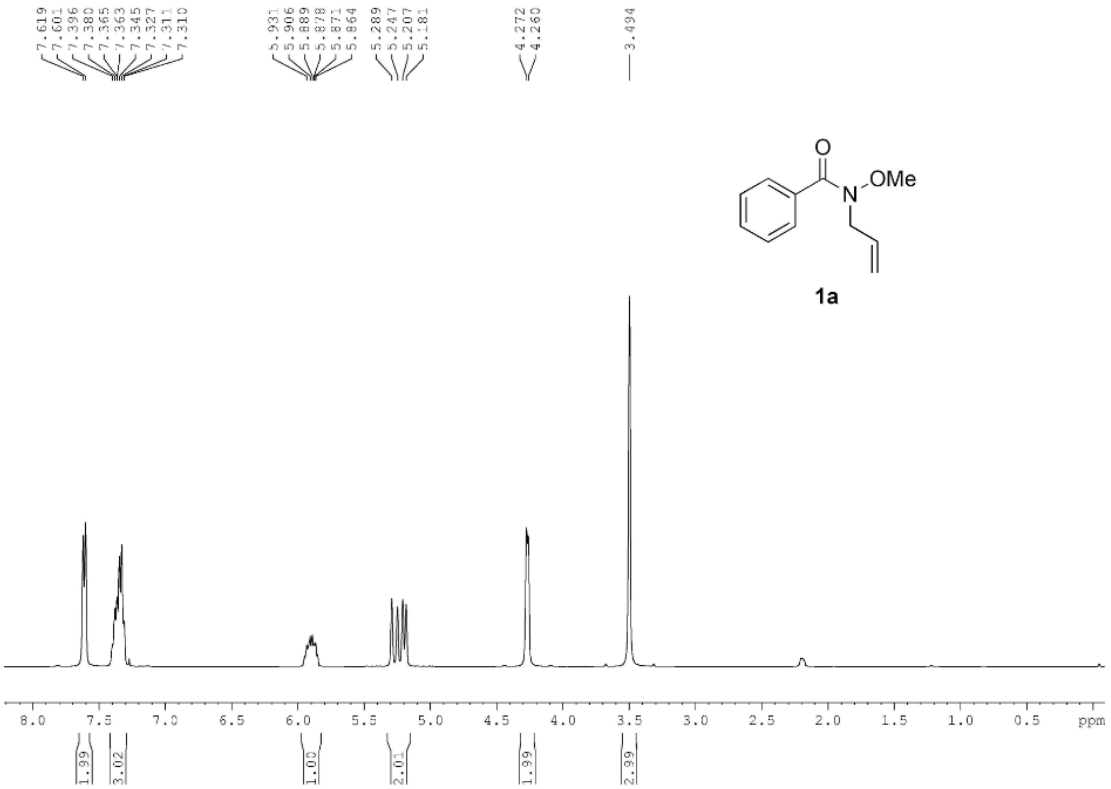
2-Methoxy-4-methyl-4-(tosylmethyl)-3,4-dihydroisoquinolin-1(2*H*)-one (**3an**): White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.12 (d, *J* = 8.0 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.50 (t, *J* = 7.2 Hz,1H), 7.36 (t, *J* = 7.6 Hz, 1H), 7.32–7.30 (m, 3H), 4.56 (d, *J* = 12.0 Hz, 1H), 4.01 (s, 3H), 3.75 (d, *J* = 12.0 Hz,1H), 3.52 (d, *J* = 14.0 Hz,1H), 3.09 (d, *J* = 14.0 Hz, 1H), 2.42 (s, 3H), 1.86 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ: 163.1, 145.0, 143.4, 138.2, 133.1, 130.0, 129.0, 128.2, 127.4, 124.2, 62.2, 61.5, 55.7, 40.0, 29.7, 22.2, 21.6. HRMS (ESI) ($[M+H]^+$) Calcd. for $C_{18}H_{20}NO_5S$: 360.1270, Found: 360.1271.

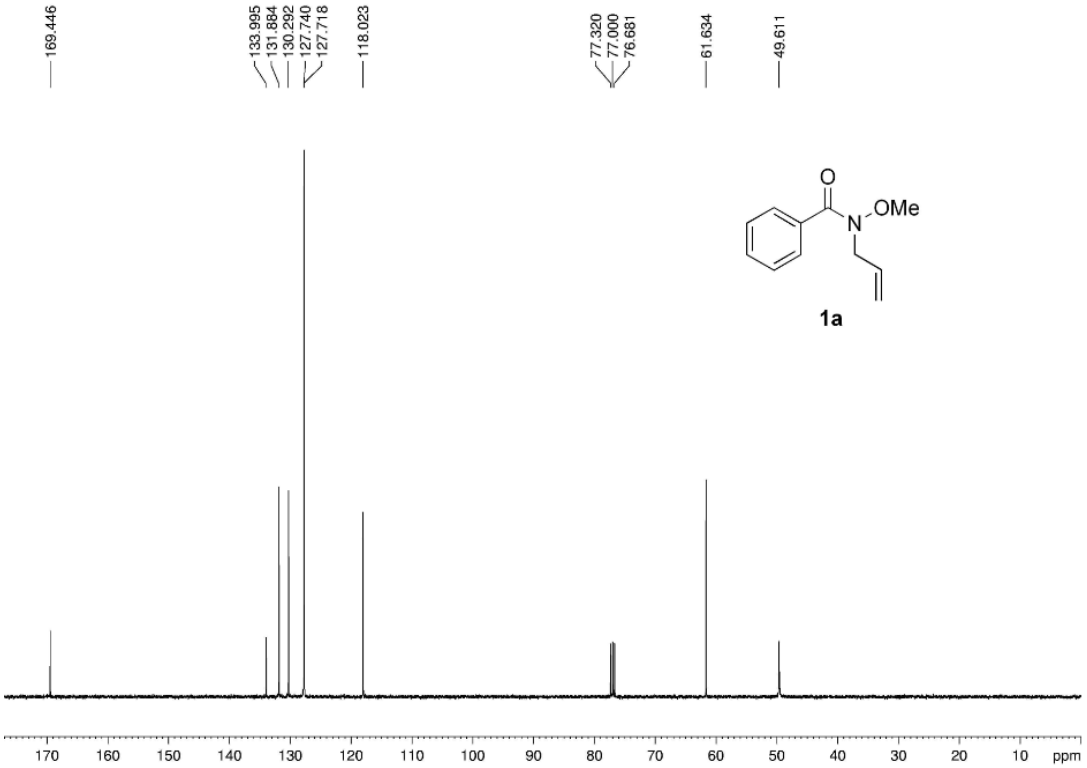
V. References

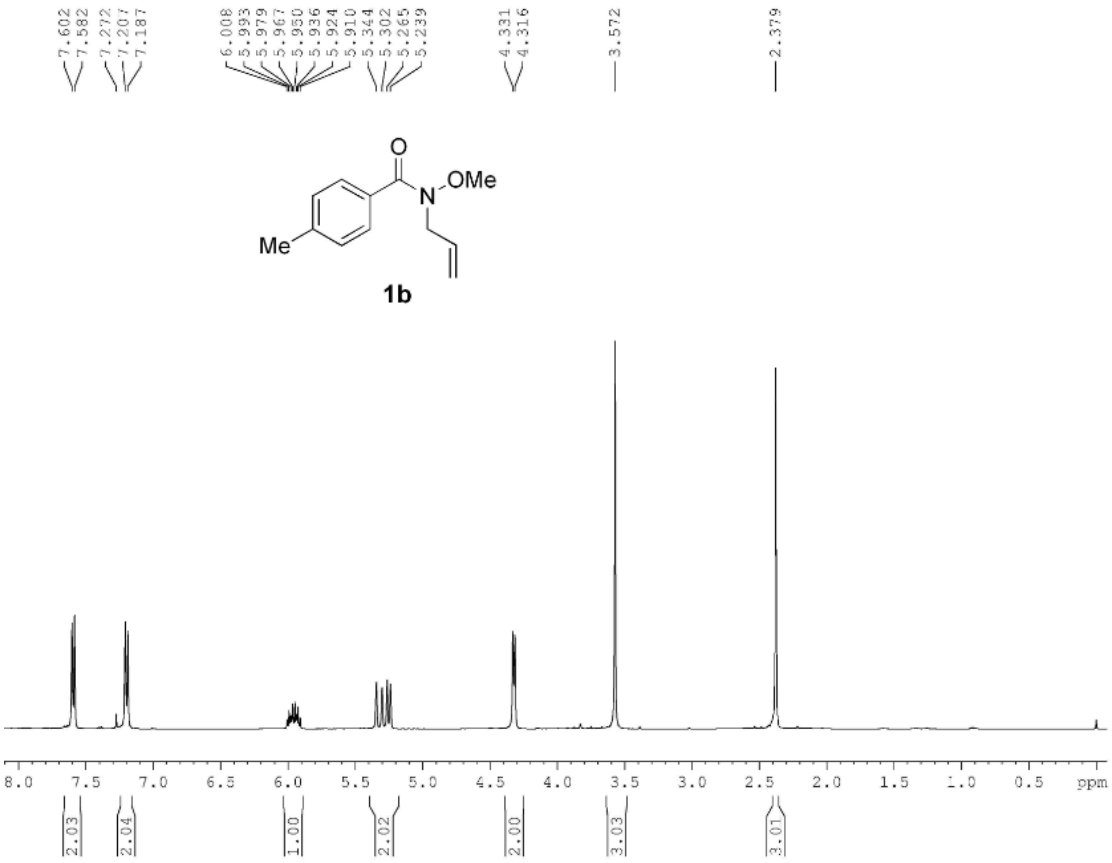
[1] N. Ohmura, A. Nakamura, A. Hamasaki and M. Tokunaga, *Eur. J. Org. Chem.* 2008, 5042.

[2] X. Dong, R. Sang, Q. Wang, X.-Y. Tang and M. Shi, *Chem. Eur. J.*, 2013, **19**, 16910.

VI. ^{1}H and ^{13}C NMR spectra of the substrates 1 and products 3



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5.950
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5.910
5.344
5.302
5.265
5.239
4.331
4.316
3.572
2.379
O
N
OMe
Me
1b
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
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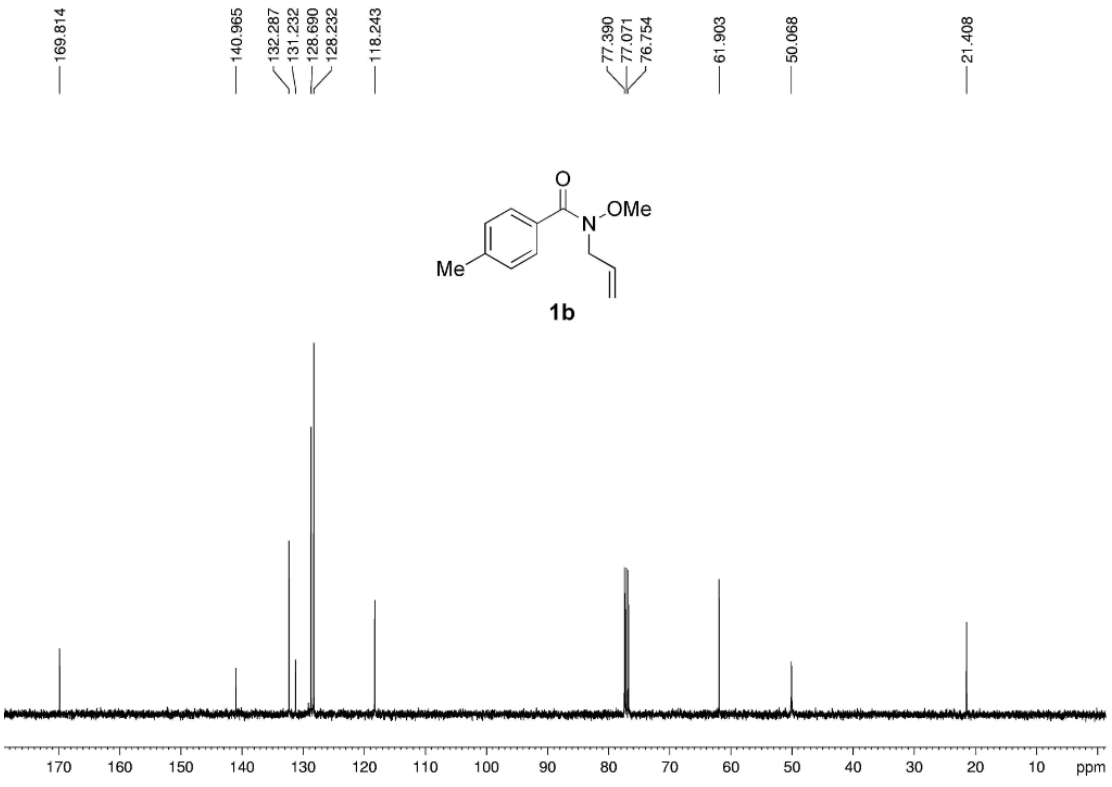
1.0
0.5
ppm
2.03
2.04
1.00
2.02
2.00
3.03
3.01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128.232
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76.754
61.903
50.068
21.408
O
N
OMe
Me
1b
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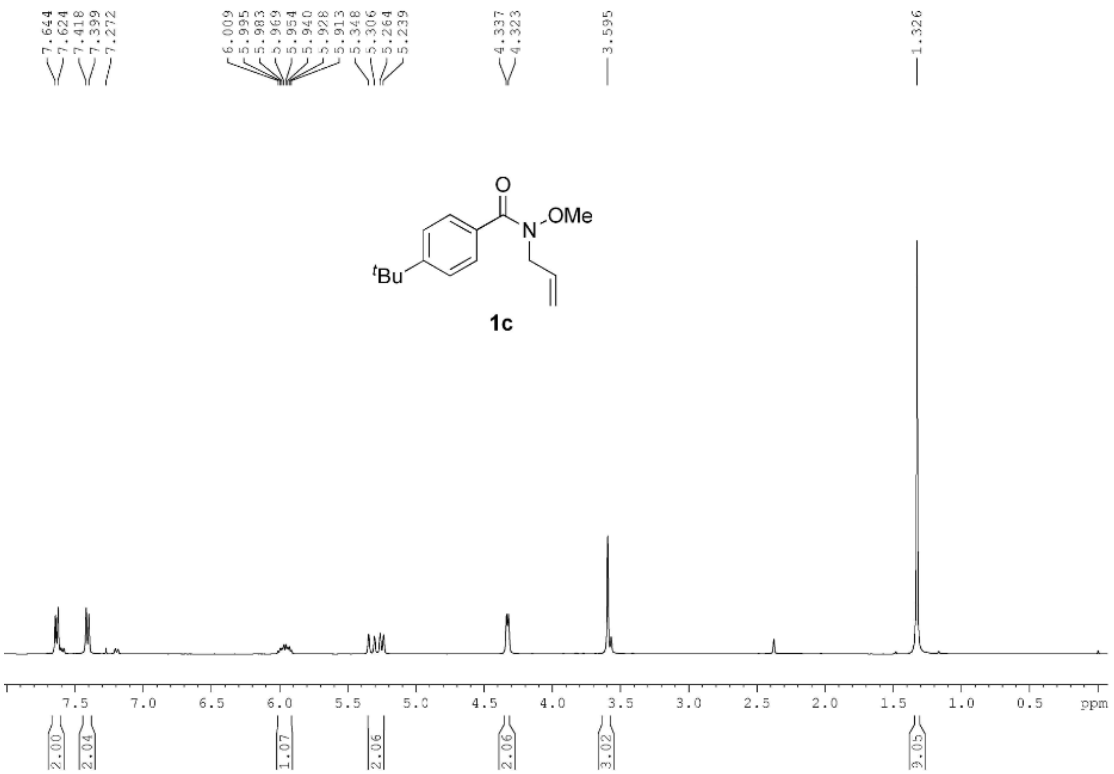
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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5.928
5.913
5.348
5.306
5.264
5.239
4.337
4.323
3.595
1.326
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N
OMe
tBu
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6.5
6.0
5.5
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4.0
3.5
3.0
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1.5
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0.5
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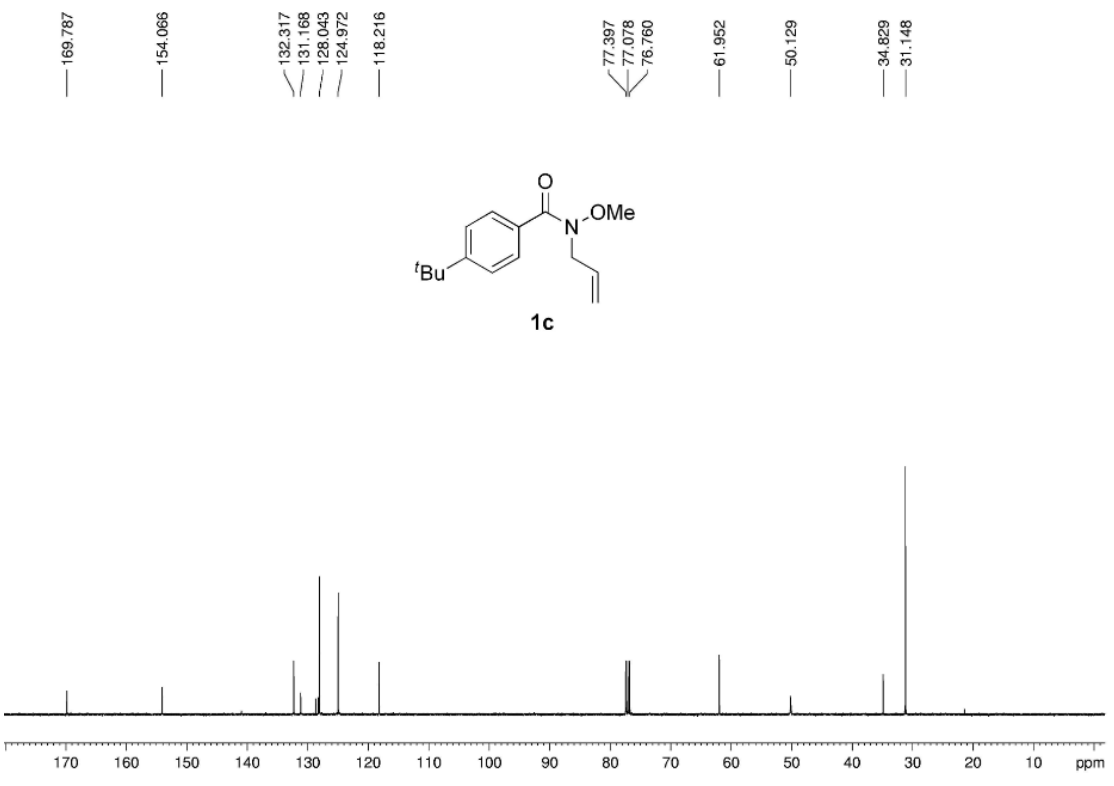
2.06
2.06
3.02
9.05

1c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77.078
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50.129
34.829
31.148
O
N
OMe
tBu
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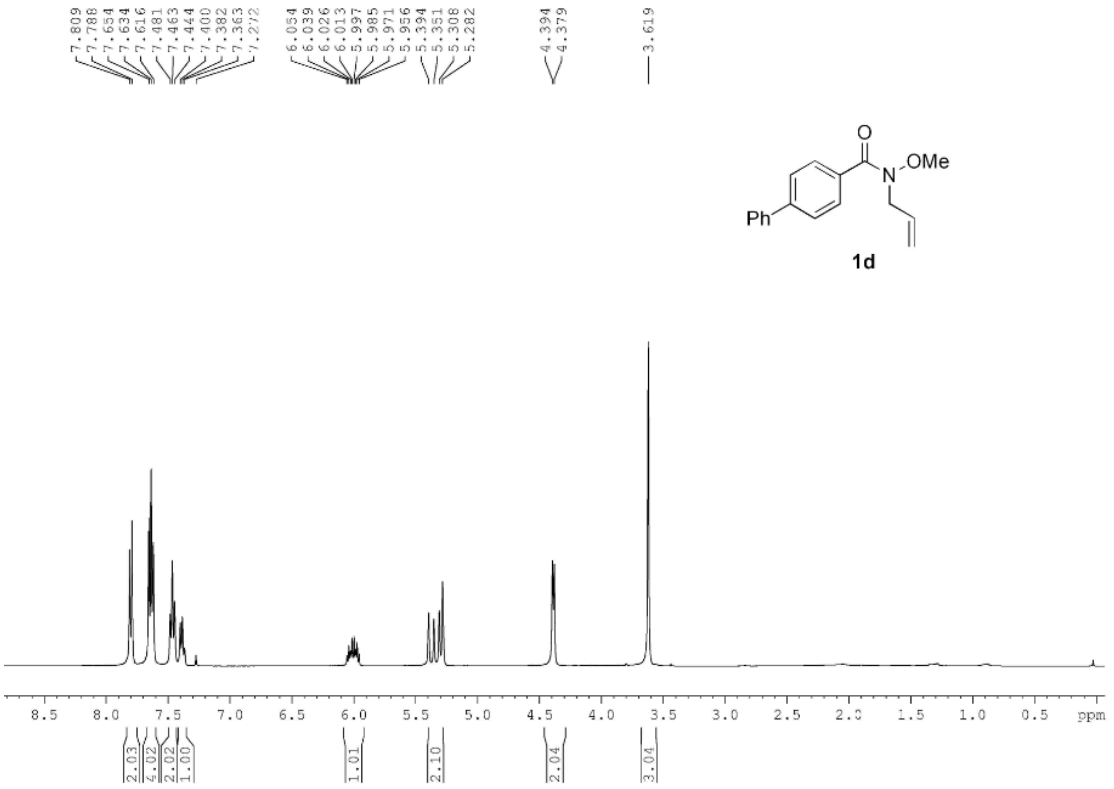
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm

1c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7.382
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6.054
6.039
6.026
6.013
5.997
5.985
5.971
5.956
5.394
5.351
5.308
5.282
4.394
4.379
3.619
O
N
OMe
Ph
1d
8.5 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 ppm
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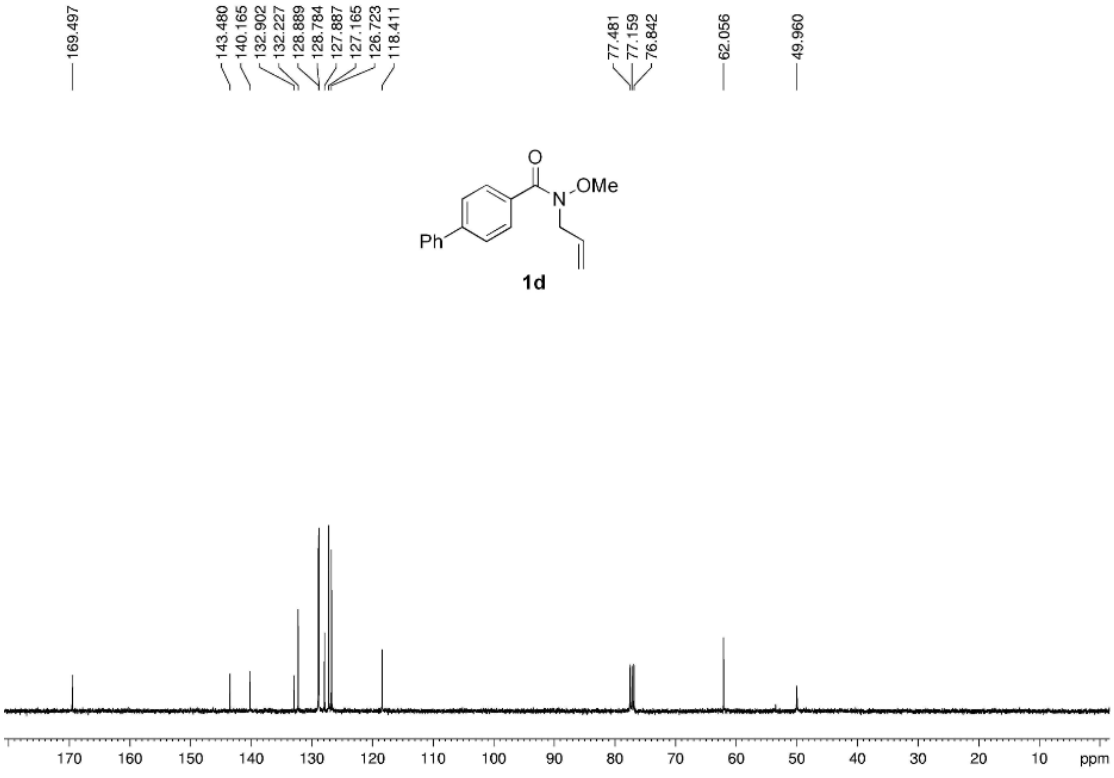
2.02
1.00
1.01
2.10
2.04
3.04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128.889
128.784
127.887
127.165
126.723
118.411
77.481
77.159
76.842
62.056
49.960
O
N
OMe
Ph
1d
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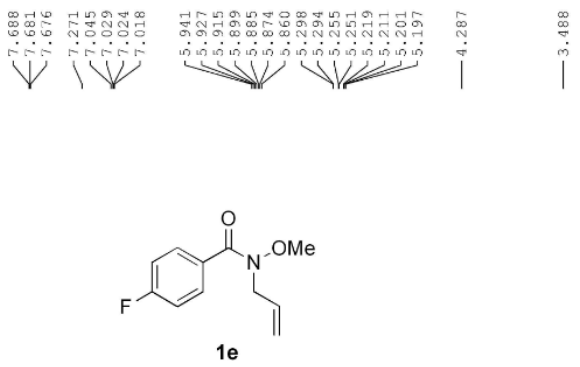
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7.676
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7.018
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5.927
5.915
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5.885
5.874
5.860
5.298
5.294
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5.219
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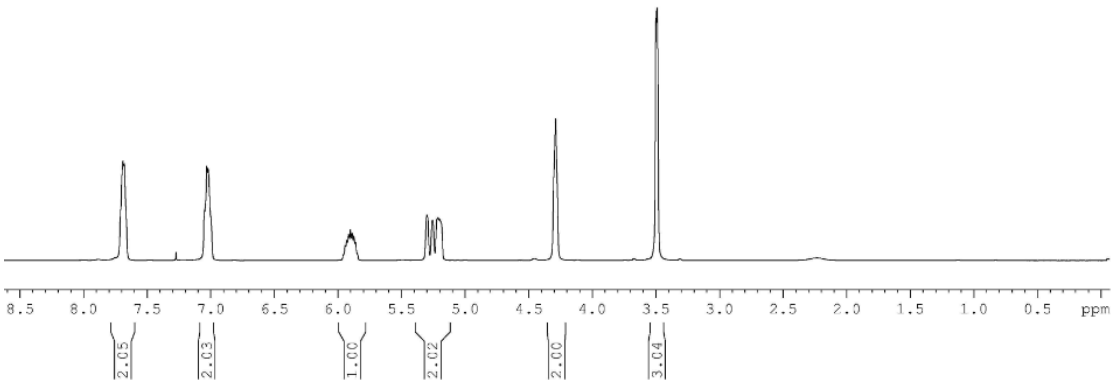
5.201
5.197
4.287
3.488
O
N
OMe
F

1e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2.03
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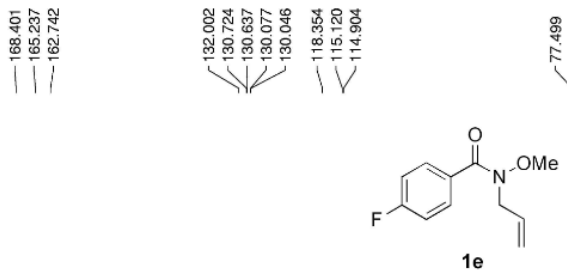
2.02
2.00
3.04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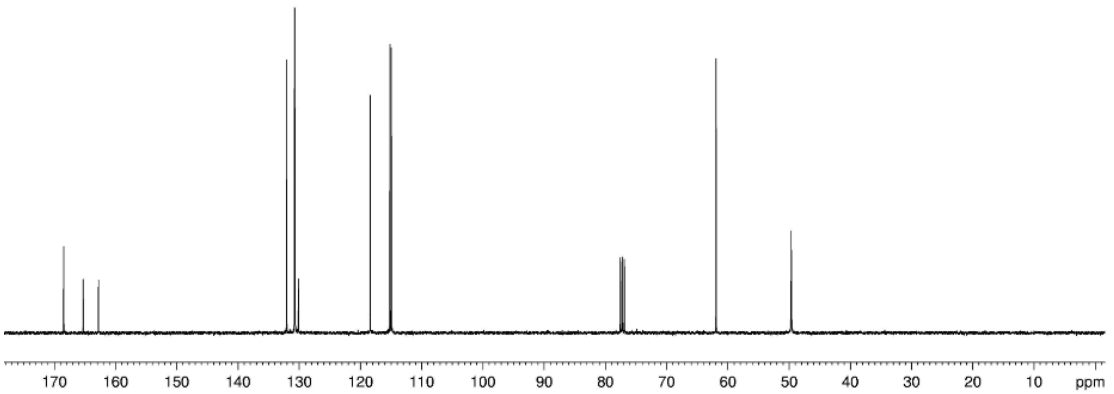
49.593
O
N
OMe
F

1e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70
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50
40
30
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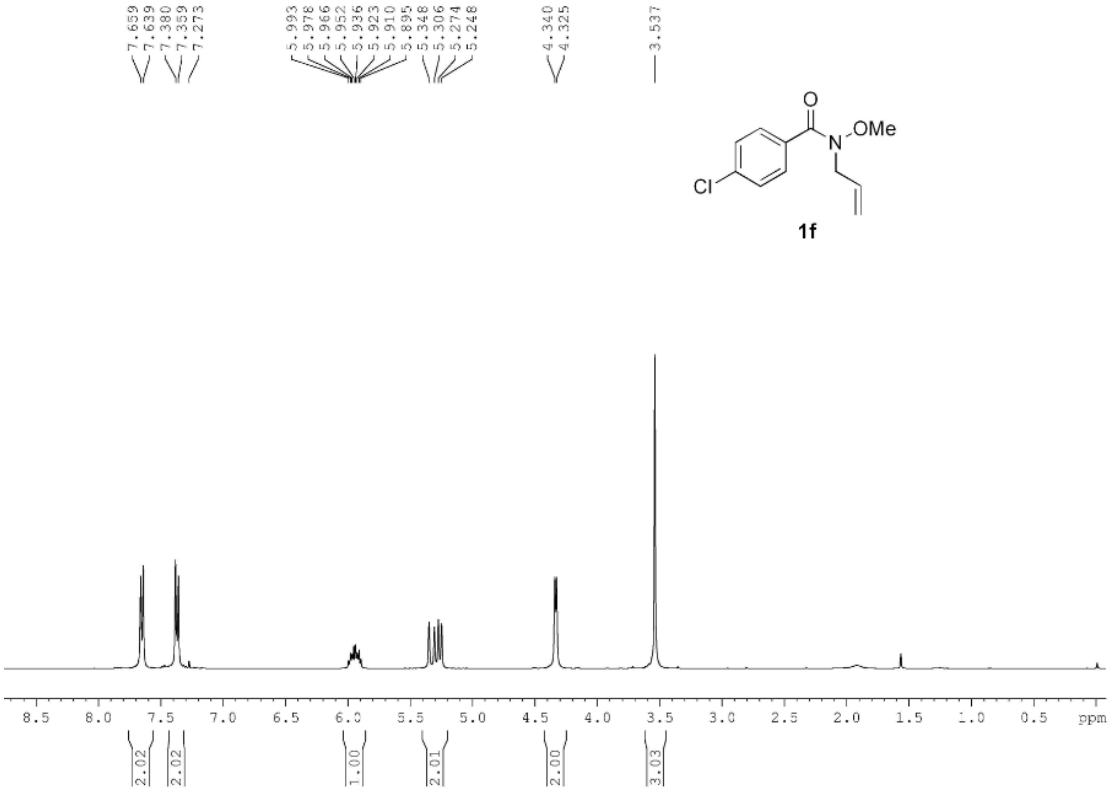
10
ppm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5.910
5.895
5.348
5.306
5.274
5.248
4.340
4.325
3.537
O
N
OMe
Cl
1f
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8.0
7.5
7.0
6.5
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5.5
5.0
4.5
4.0
3.5
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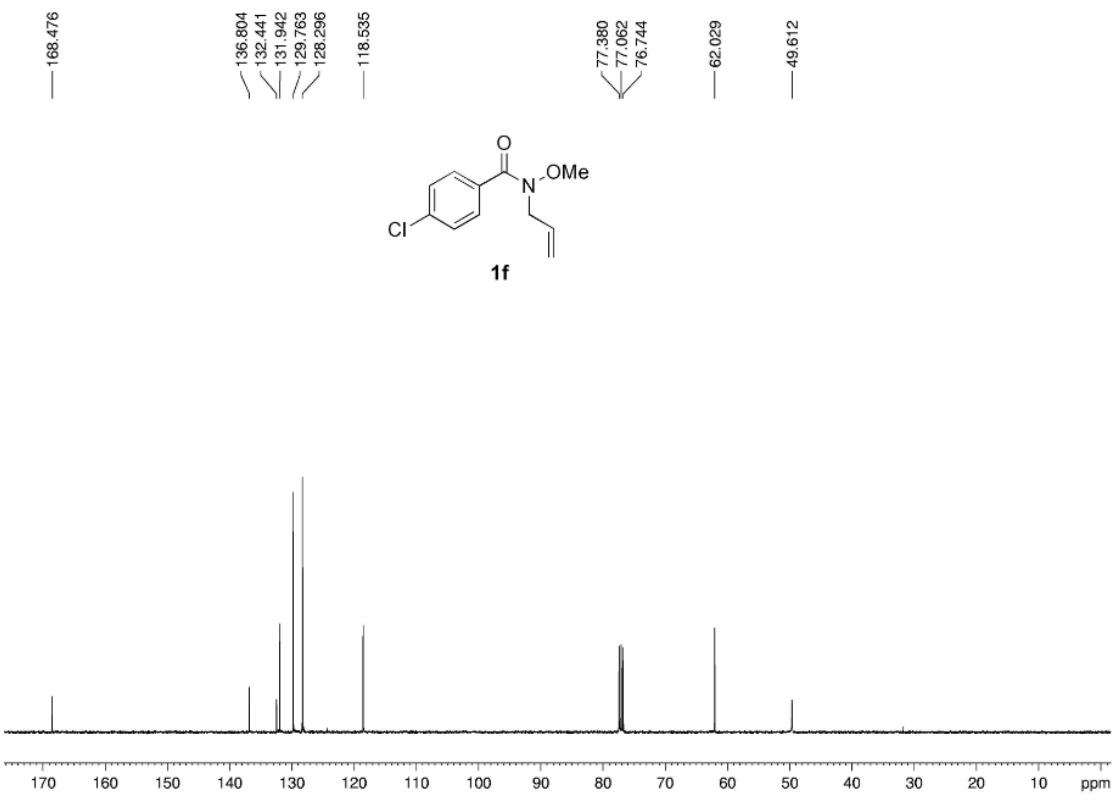
3.03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N
OMe
Cl
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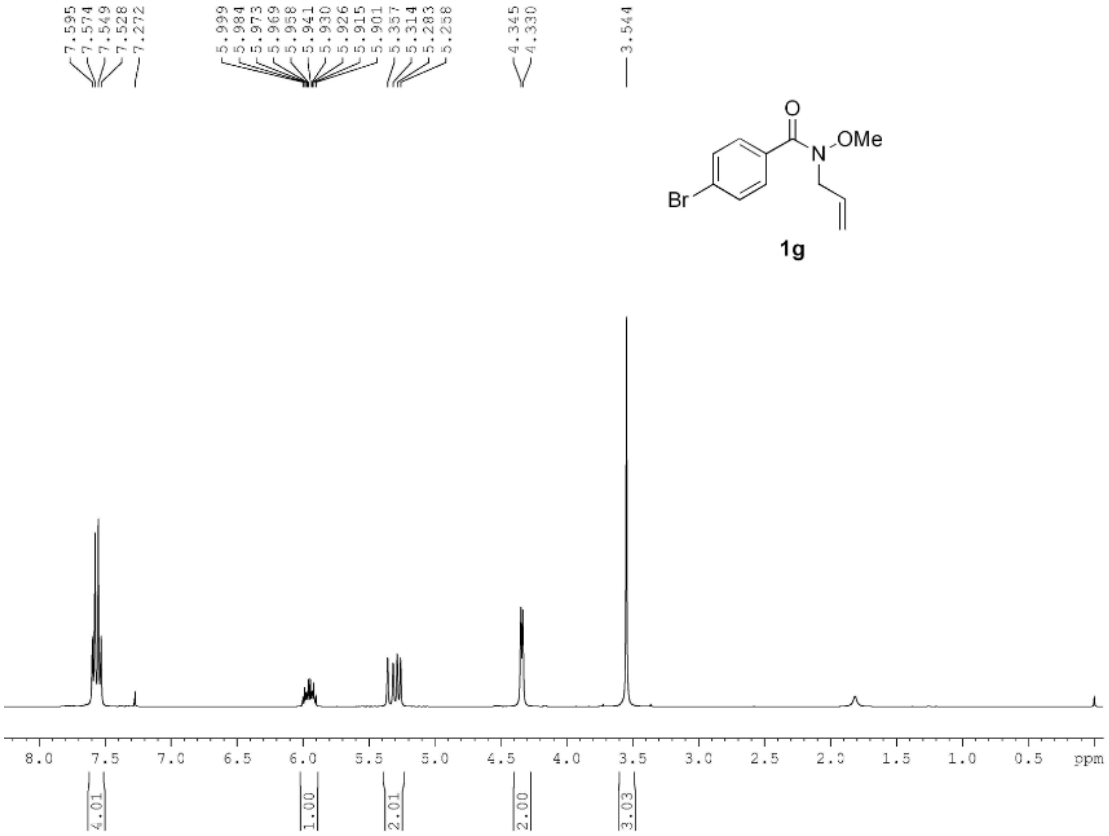
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5.901
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5.314
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6.5
6.0
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5.0
4.5
4.0
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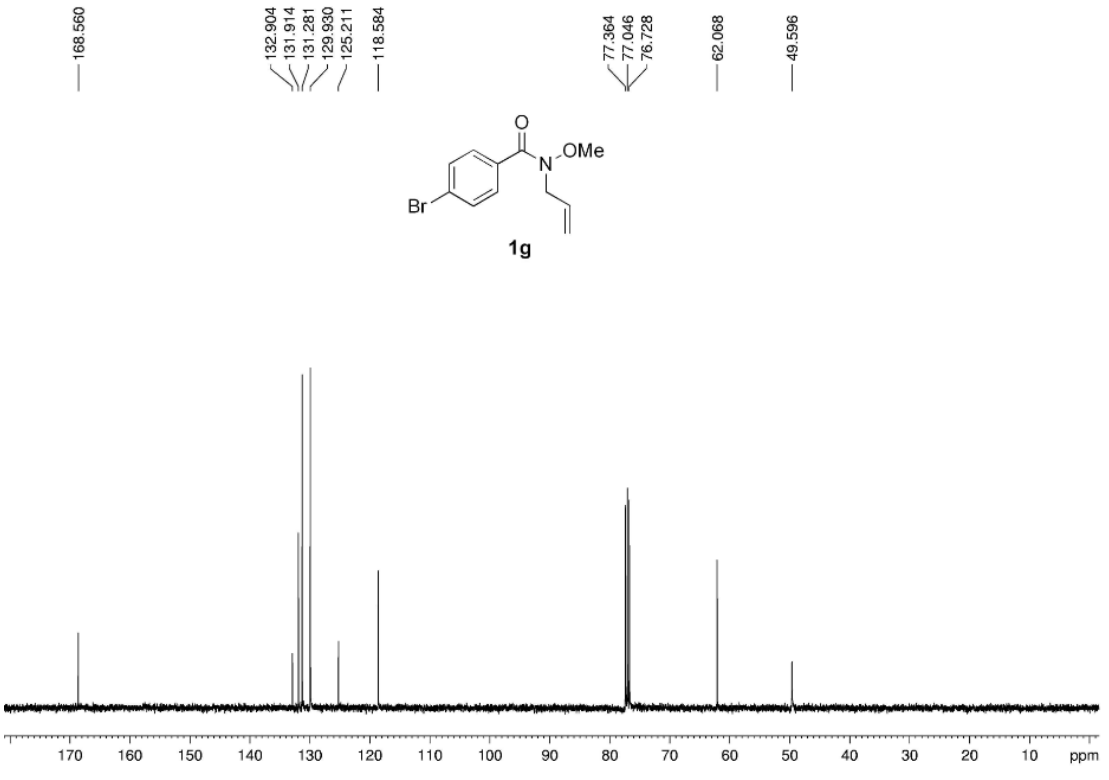
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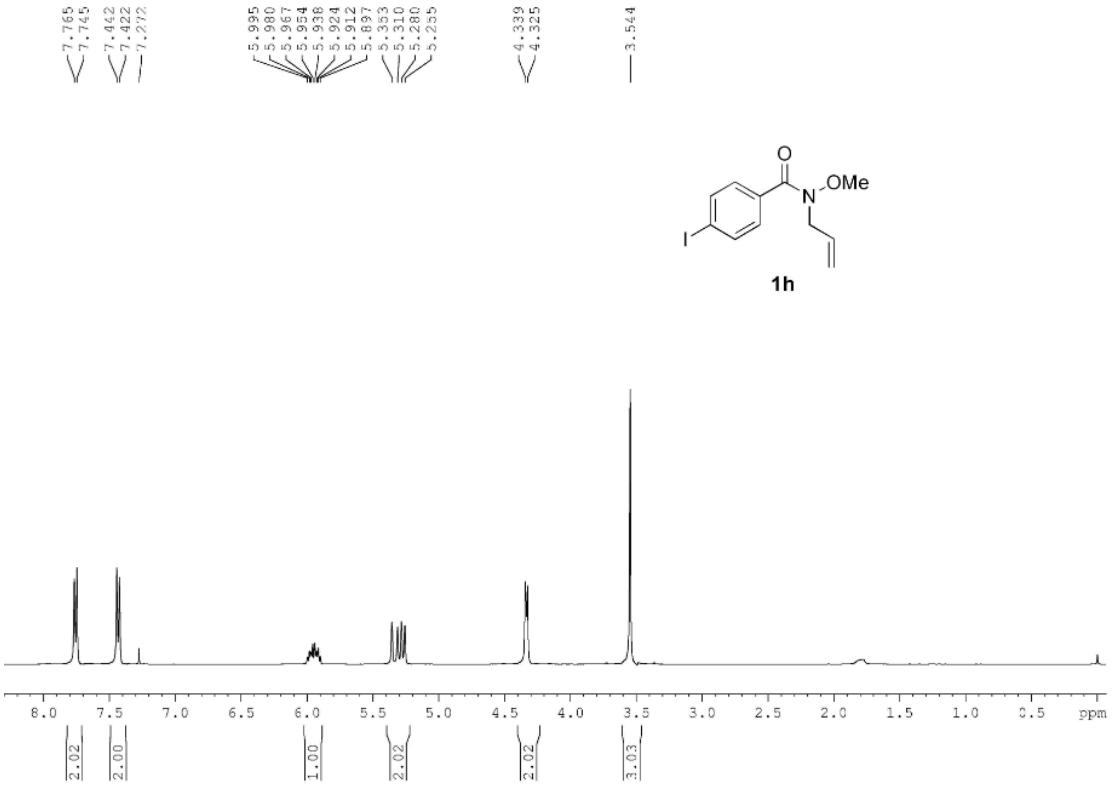
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6.0
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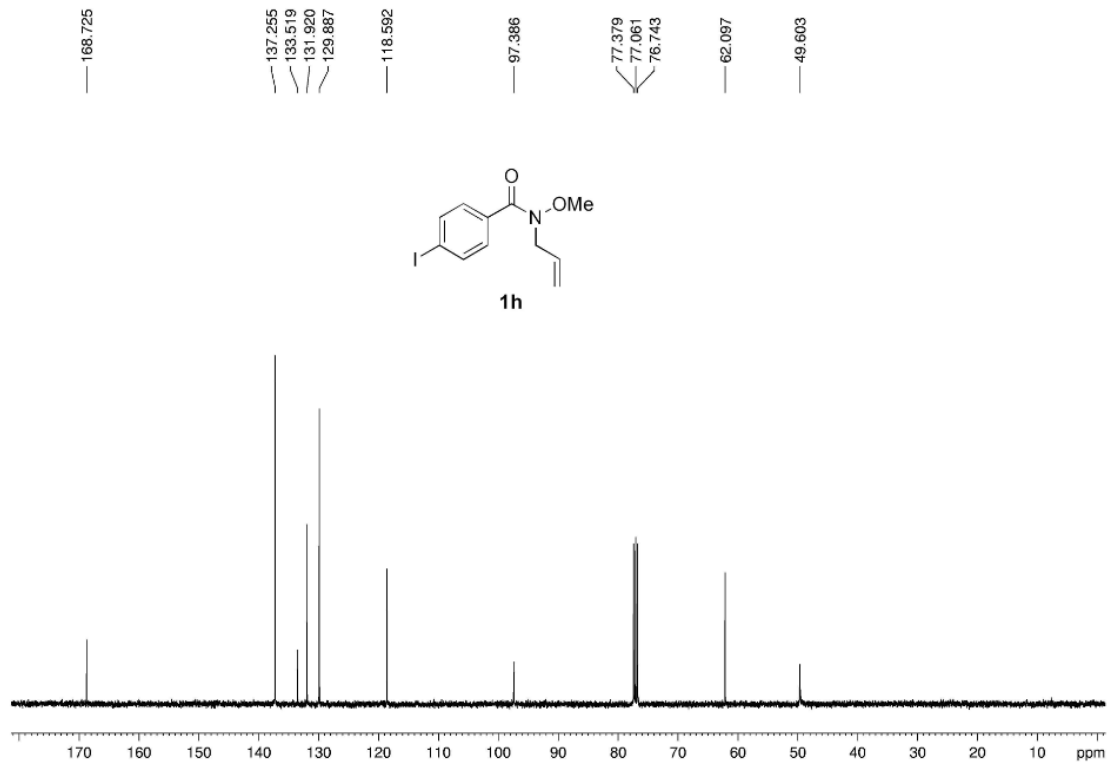
3.03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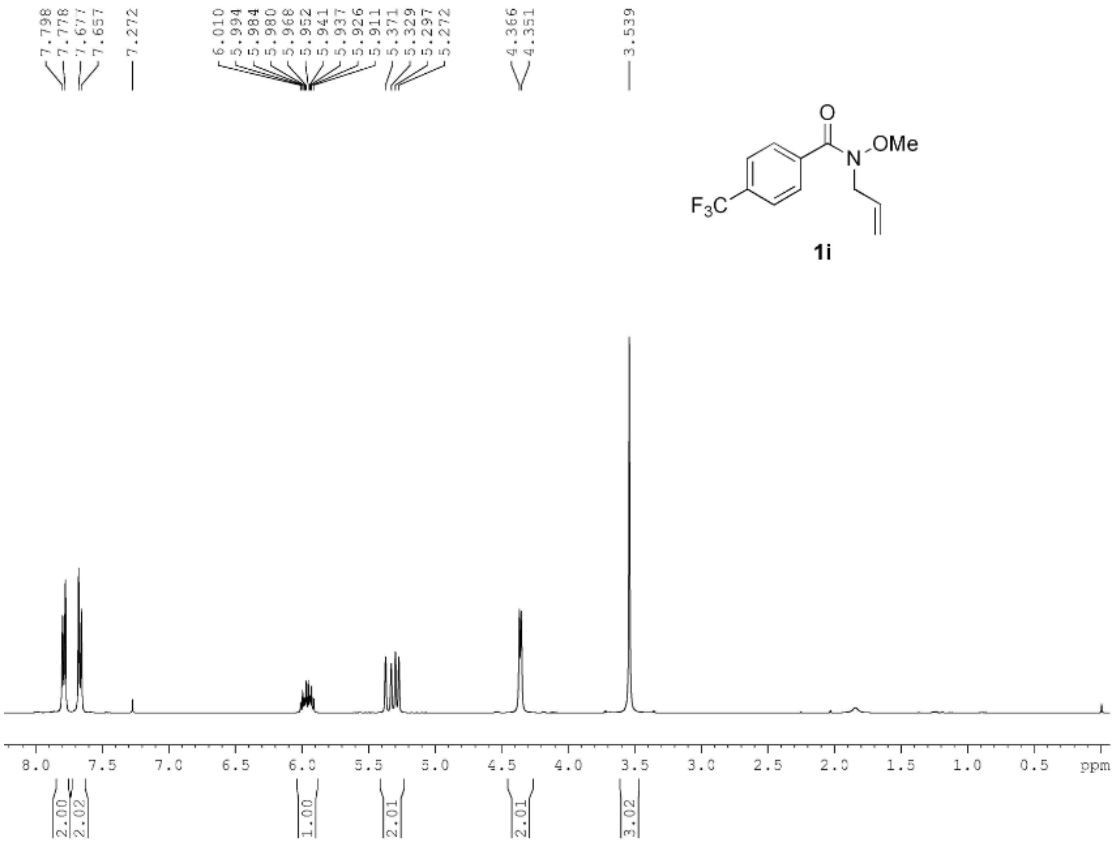
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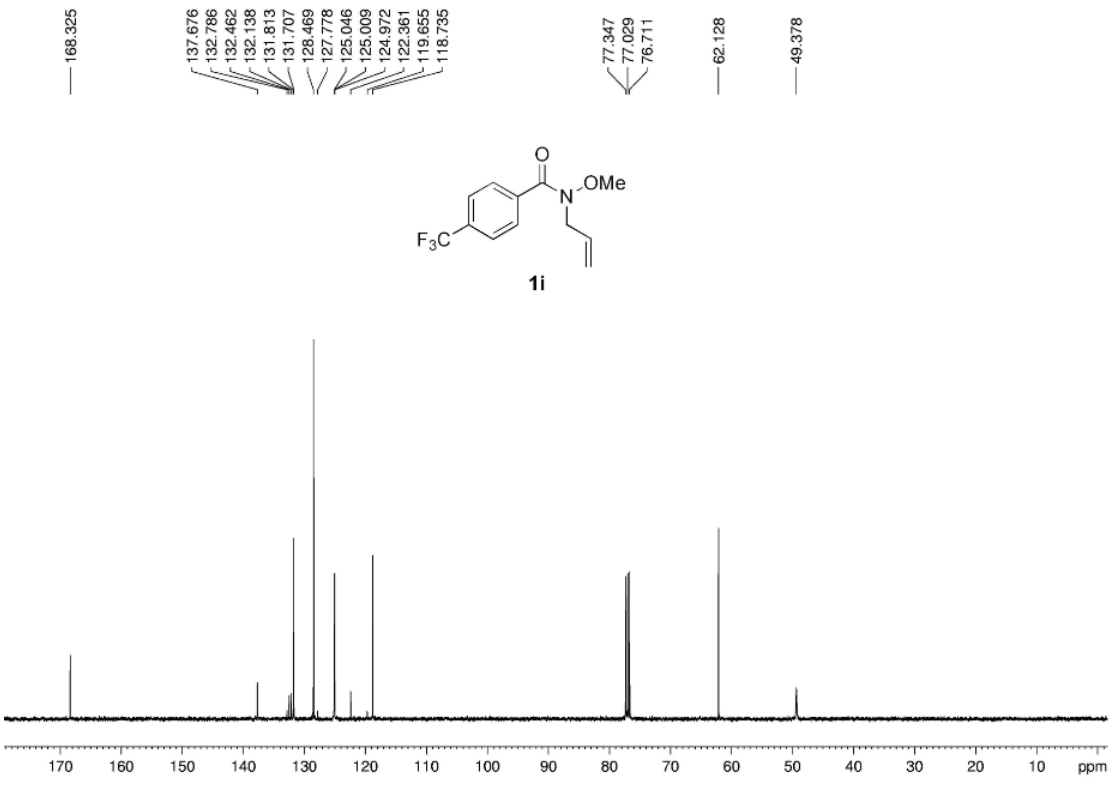
3.02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77.347
77.029
76.711
62.128
49.378
O
N
OMe
F3C
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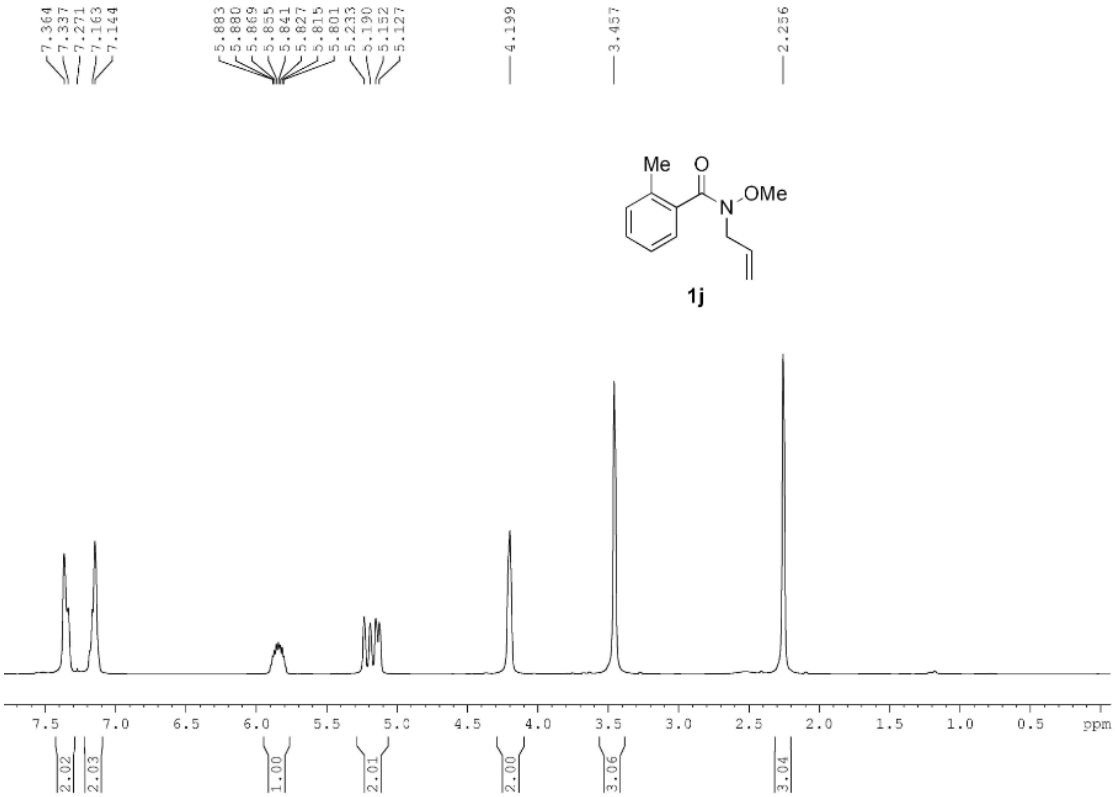
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5.801
5.233
5.190
5.152
5.127
4.199
3.457
2.256
Me
O
N
OMe
1j
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
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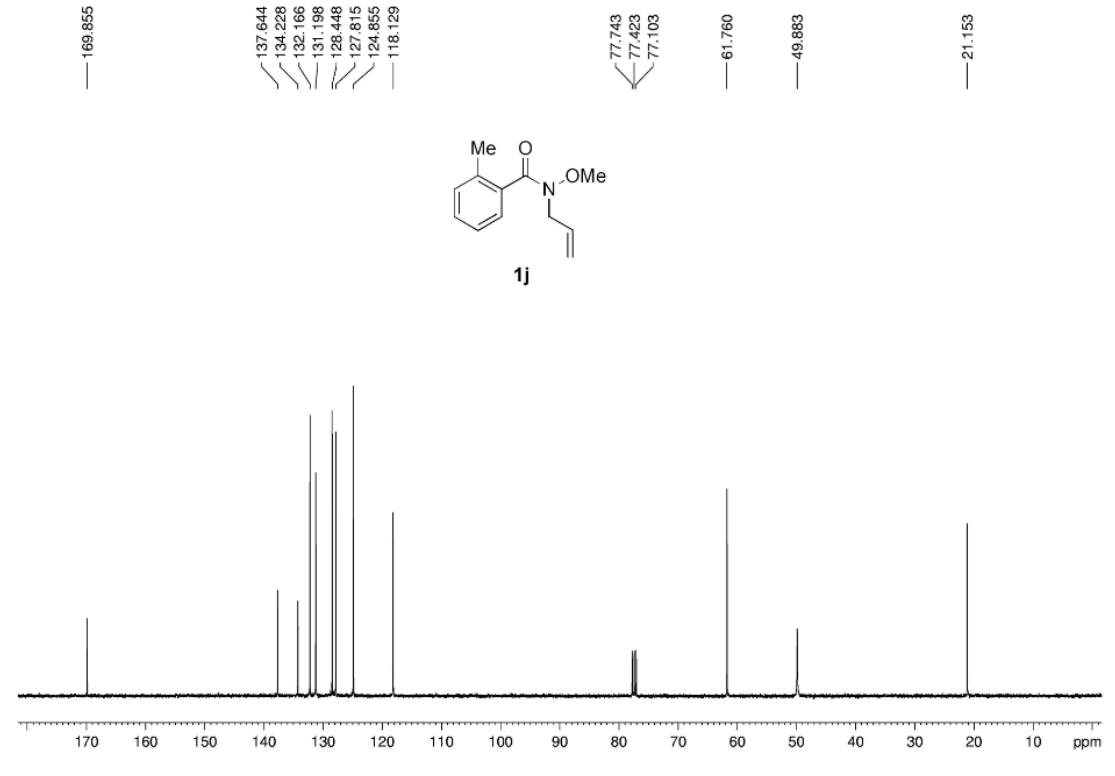
3.04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21.153
Me
O
N
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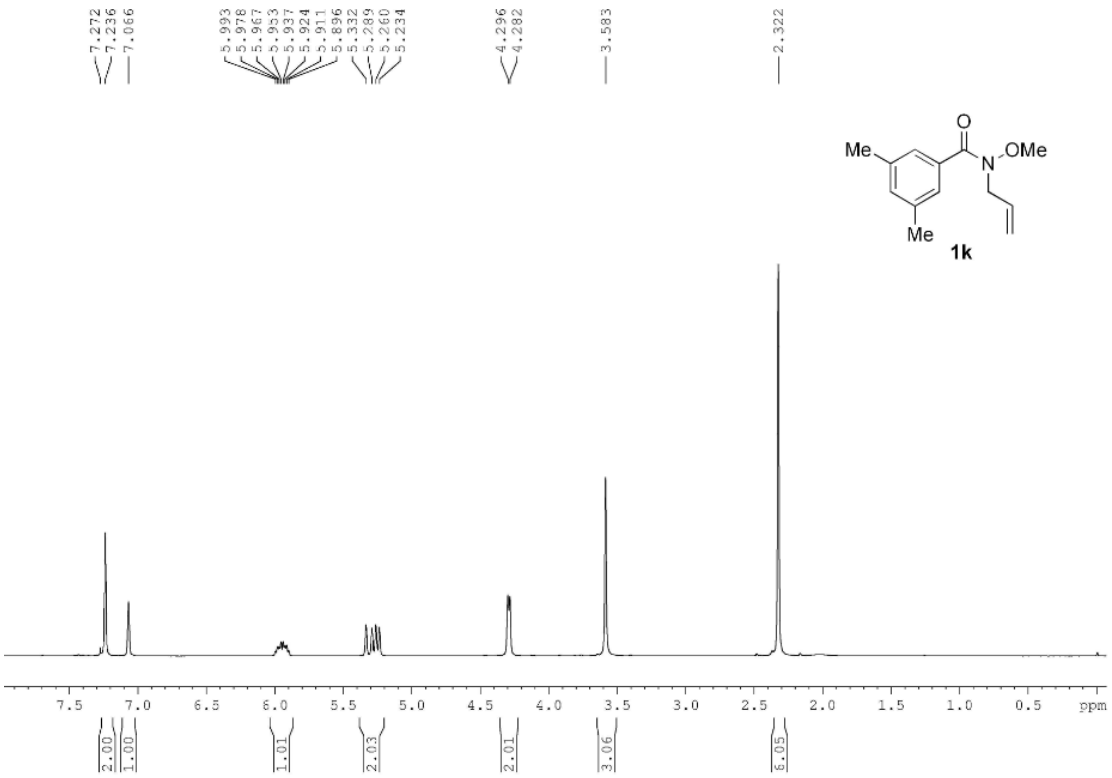
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5.911
5.896
5.332
5.289
5.260
5.234
4.296
4.282
3.583
2.322
Me
O
N
OMe
Me
1k
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6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
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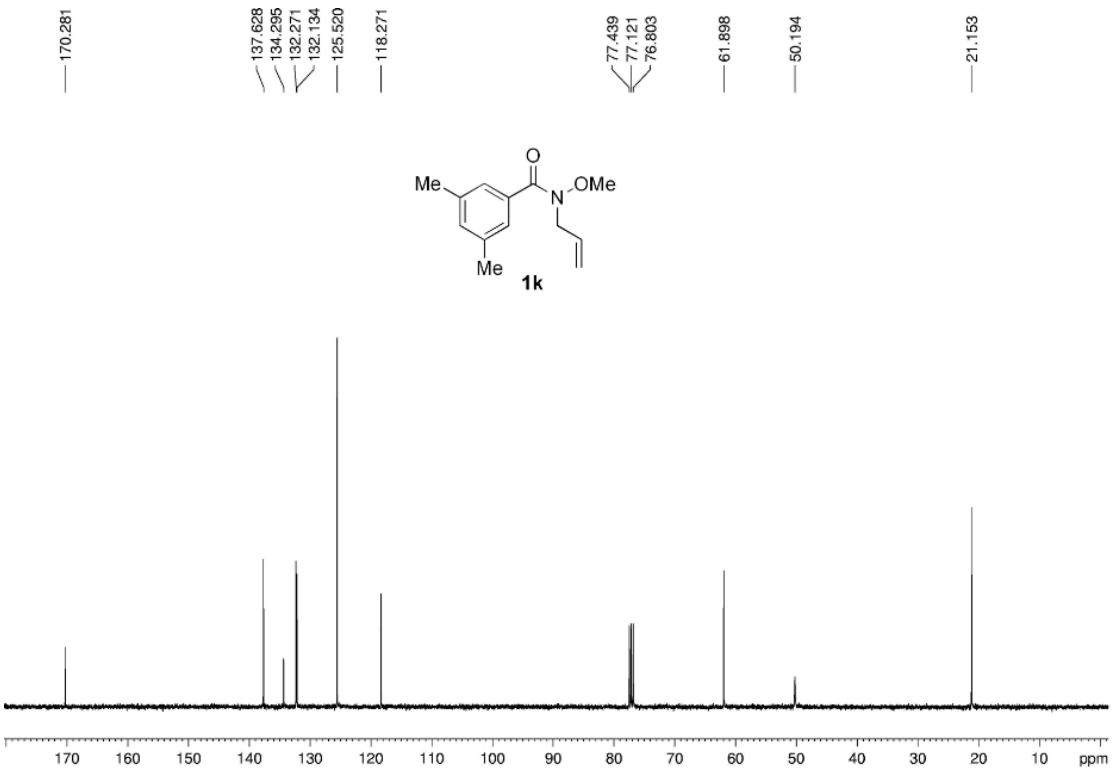
6.05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O
N
OMe
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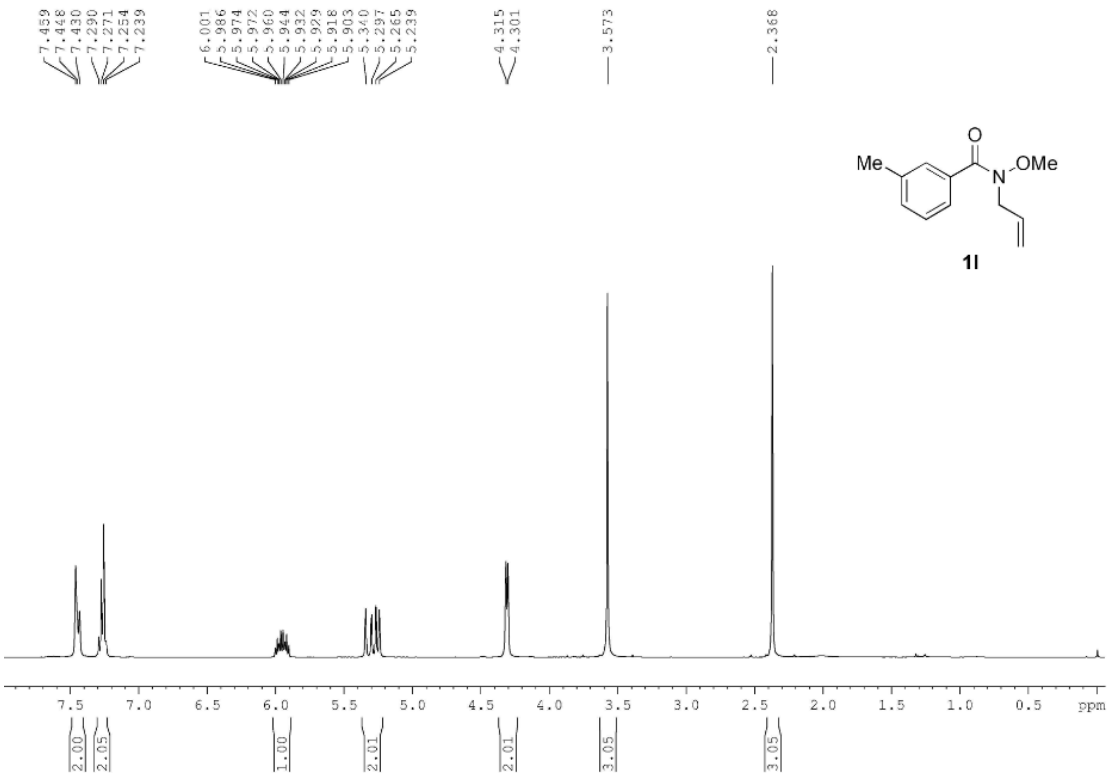
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5.960
5.944
5.932
5.929
5.918
5.903
5.340
5.297
5.285
5.239
4.315
4.301
3.573
2.368
Me
O
N
OMe
1l
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
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1.00
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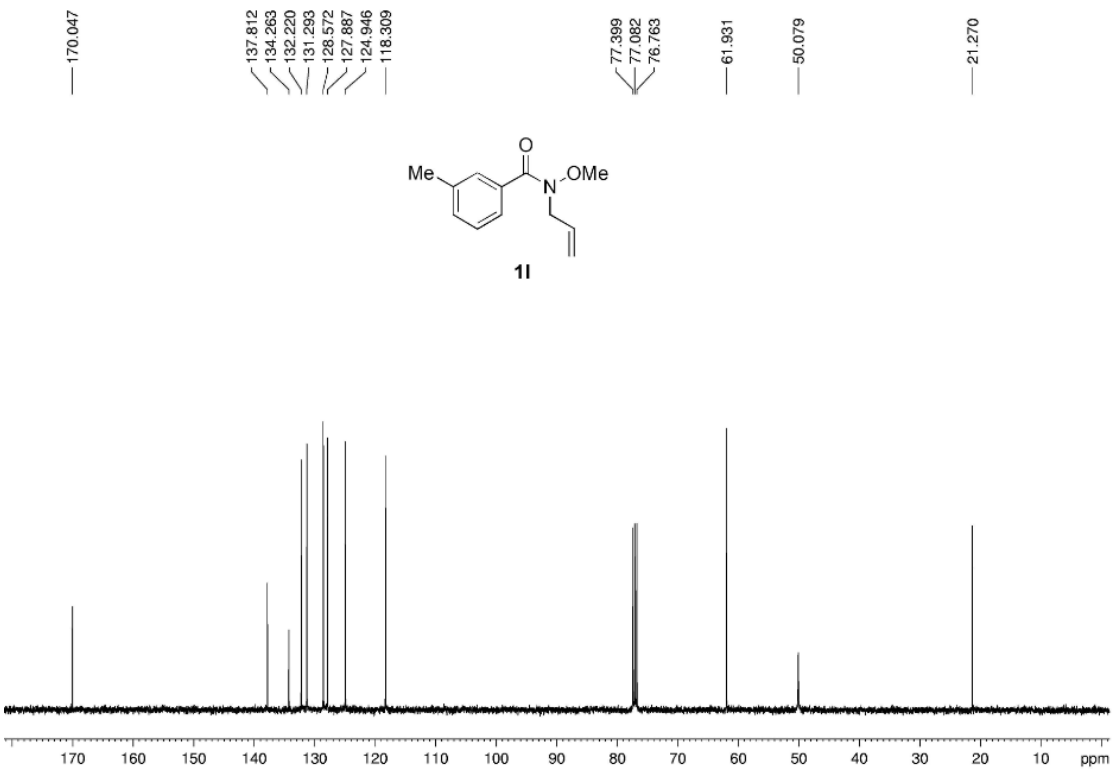
3.05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76.763
61.931
50.079
21.270
Me
O
N
OMe
1l
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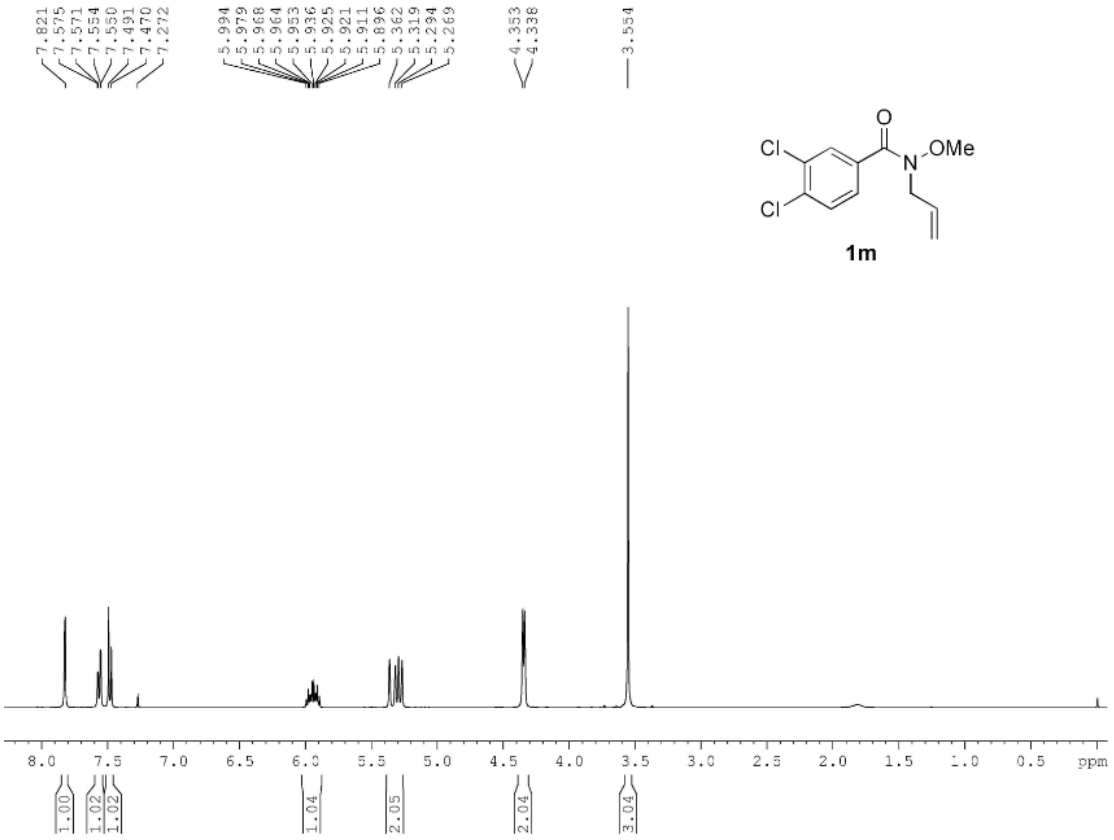
ppm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7.272
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5.953
5.936
5.925
5.921
5.911
5.896
5.362
5.319
5.294
5.269
4.353
4.338
3.554
Cl
Cl
O
N
OMe
1m
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7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
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1.0
0.5
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1.02
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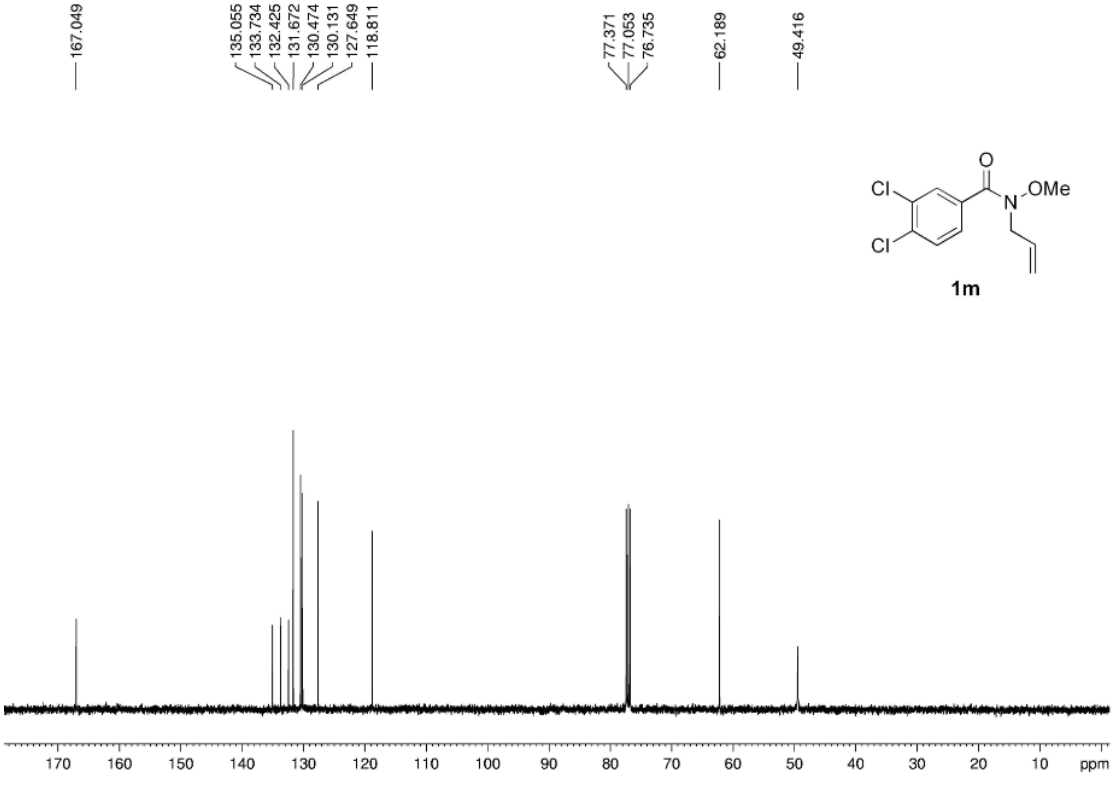
2.05
2.04
3.04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77.053
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49.416
Cl
Cl
O
N
OMe
1m
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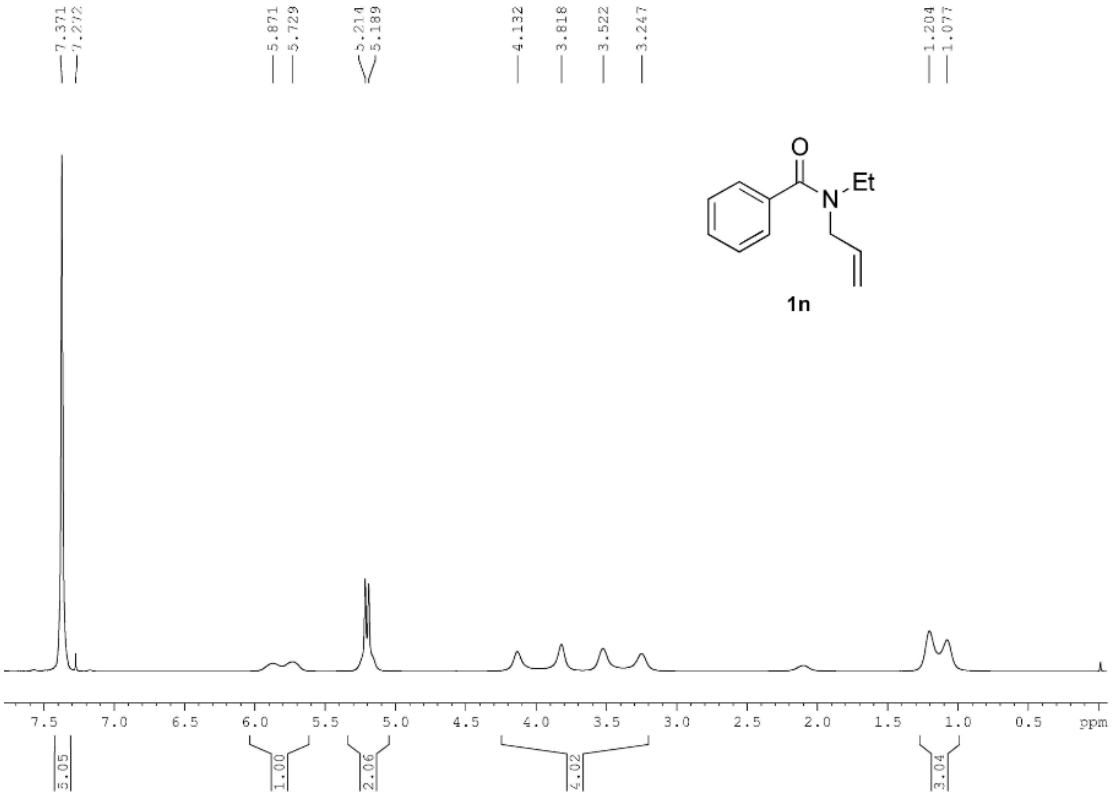
ppm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O
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Et
1n
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6.5
6.0
5.5
5.0
4.5
4.0
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2.0
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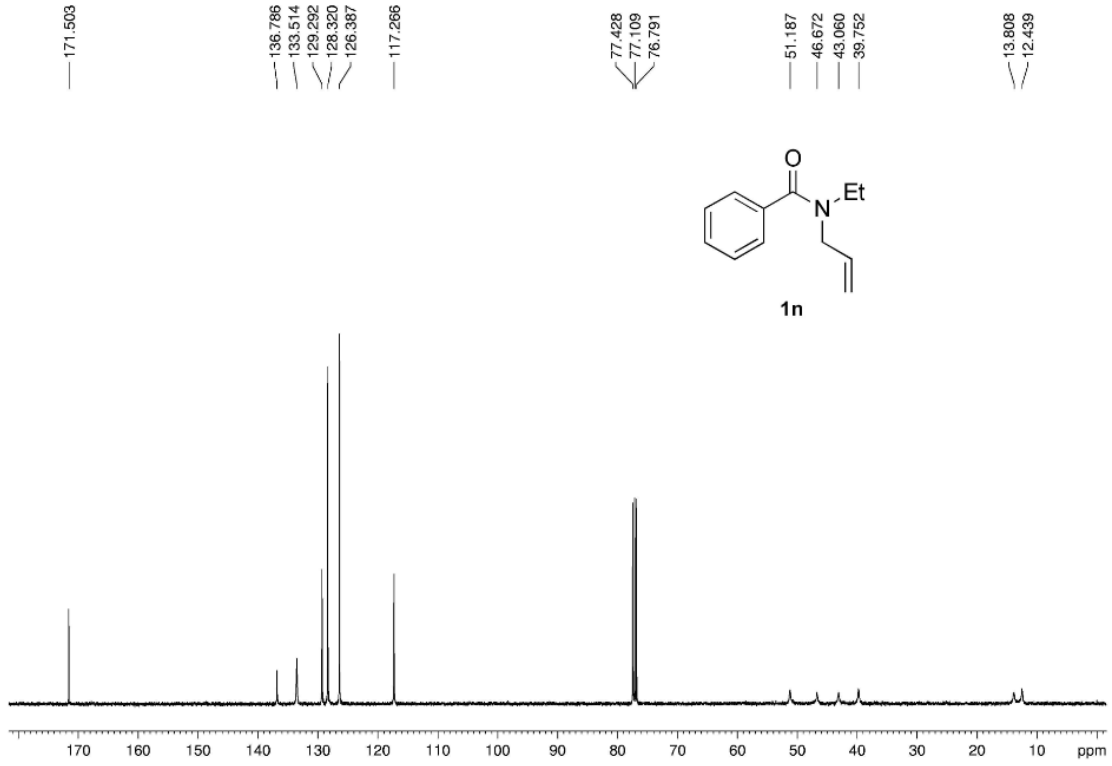
1.0
0.5
ppm
3.05
1.00
2.06
4.02
3.04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76.791
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43.060
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13.808
12.439
O
N
Et
1n
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150
140
130
120
110
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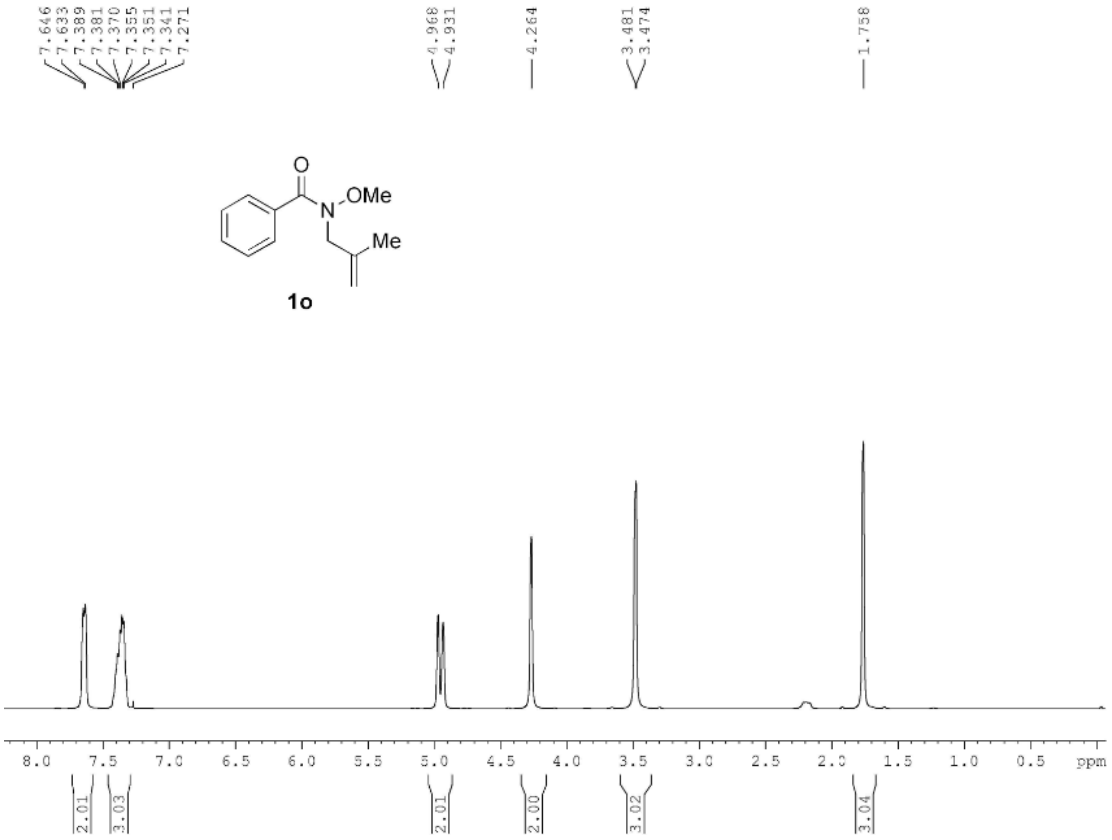
80
70
60
50
40
30
20
10
ppm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7.341
7.271
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4.931
4.264
3.481
3.474
1.758
O
N
OMe
Me
1o
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7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
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1.5
1.0
0.5
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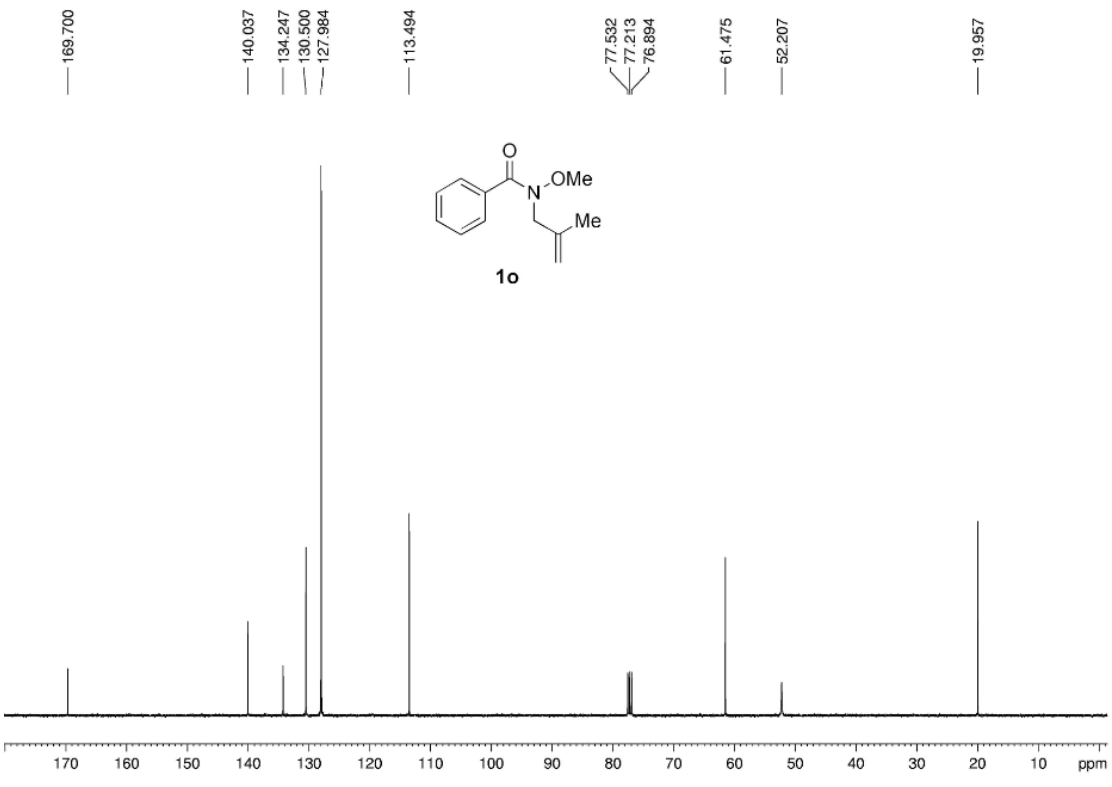
3.03
2.01
2.00
3.02
3.04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O
N
OMe
Me
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140
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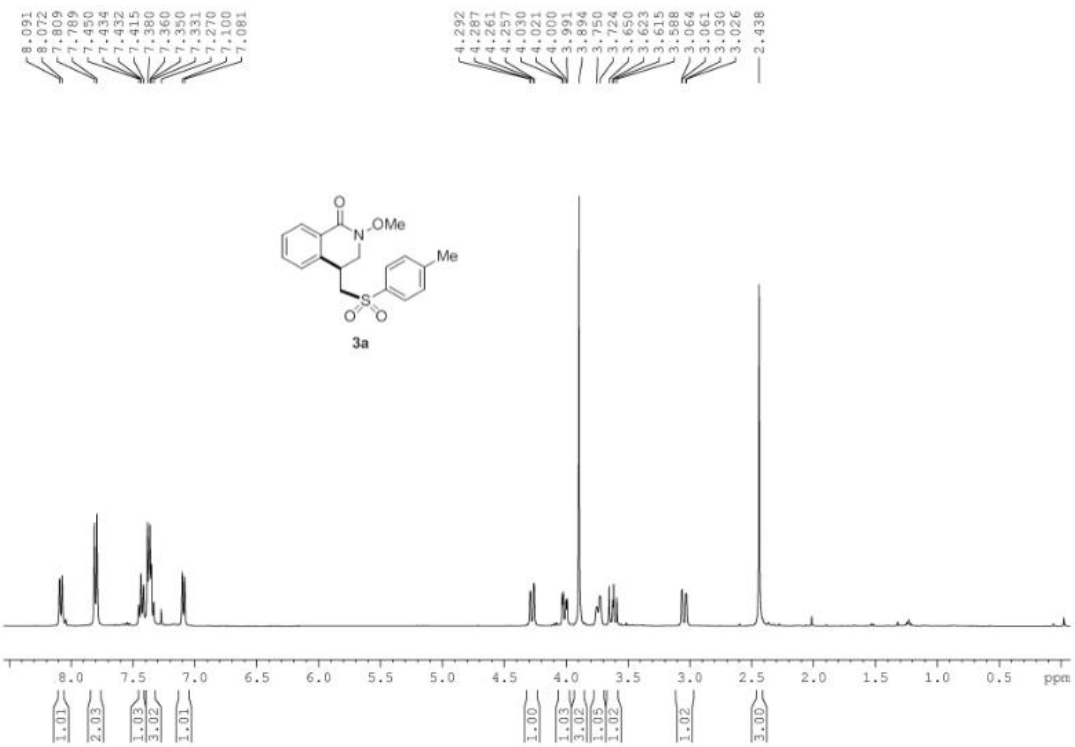
10
ppm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7.415
7.380
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7.350
7.331
7.270
7.100
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4.292
4.287
4.261
4.257
4.030
4.021
4.000
3.991
3.894
3.750
3.724
3.650
3.623
3.615
3.588
3.064
3.061
3.030
3.026
2.438
OMe
N
Me
O
S
O
3a
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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
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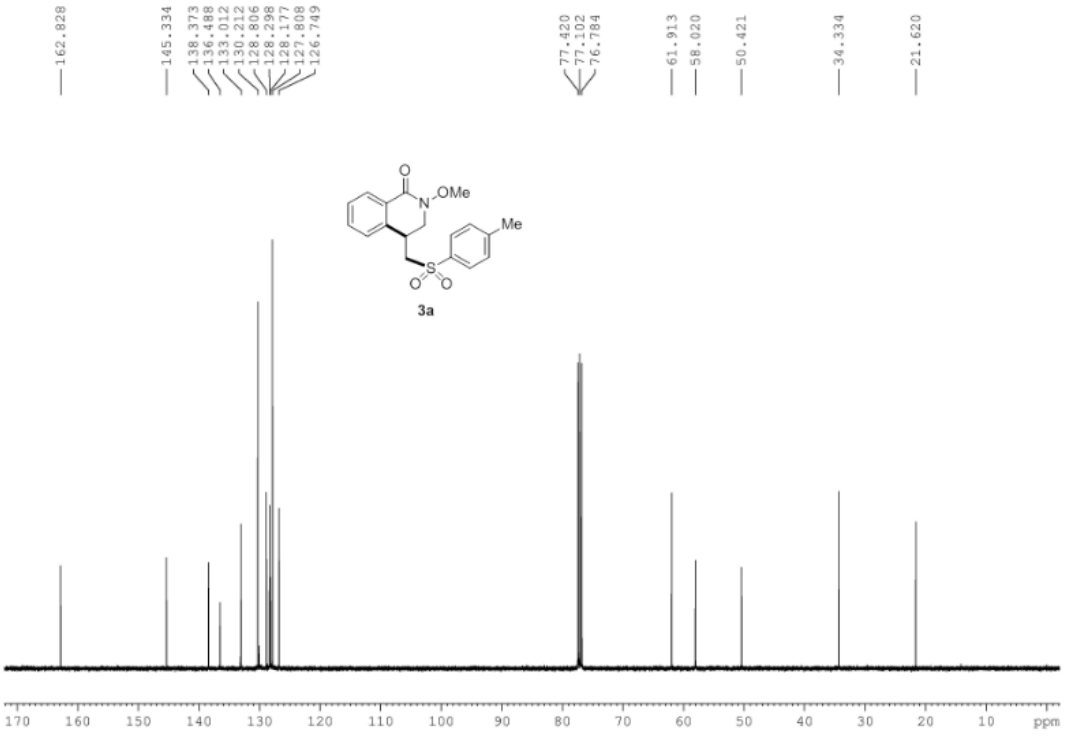
1.03
3.02
1.01
1.00
1.03
3.02
1.05
1.02
1.02
3.00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77.102
76.784
61.913
58.020
50.421
34.334
21.620
OMe
N
Me
O
S
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30
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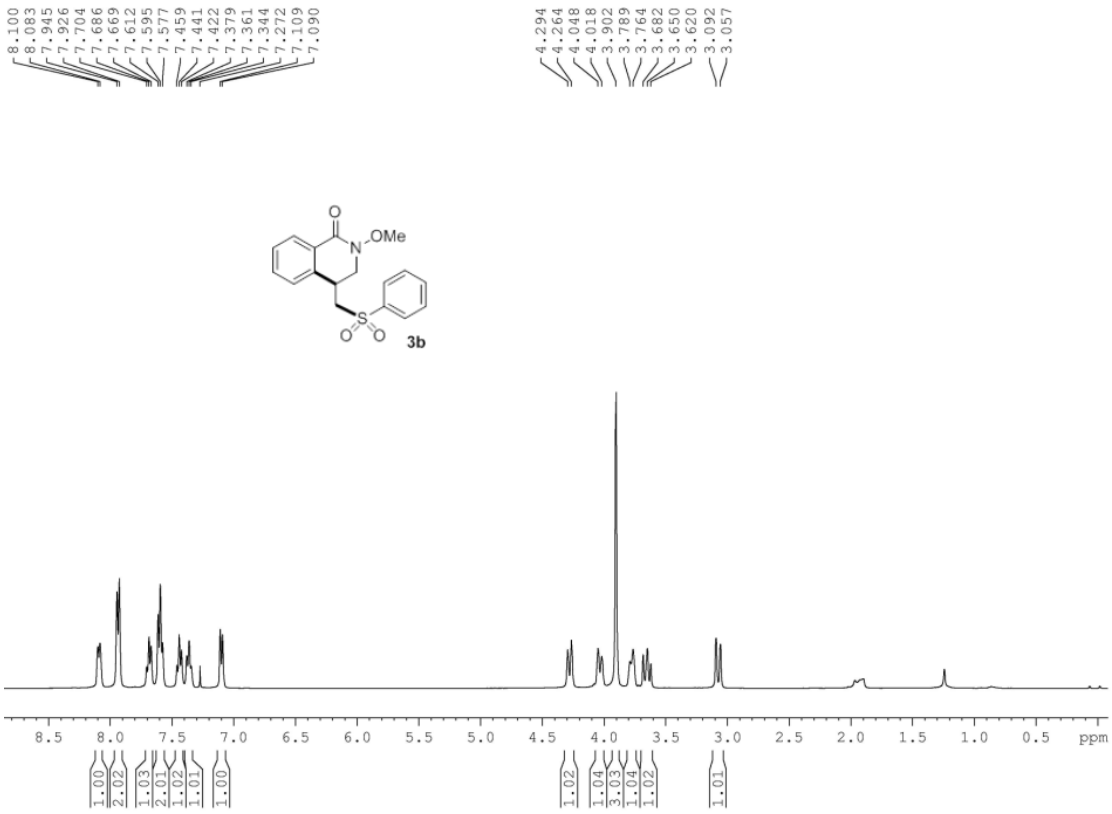
10
ppm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7.422
7.379
7.361
7.344
7.272
7.109
7.090
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4.264
4.048
4.018
3.902
3.789
3.764
3.682
3.650
3.620
3.092
3.057
OMe
N
O
S
O
O
3b
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7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
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1.0
0.5
ppm
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1.01
1.00
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1.04
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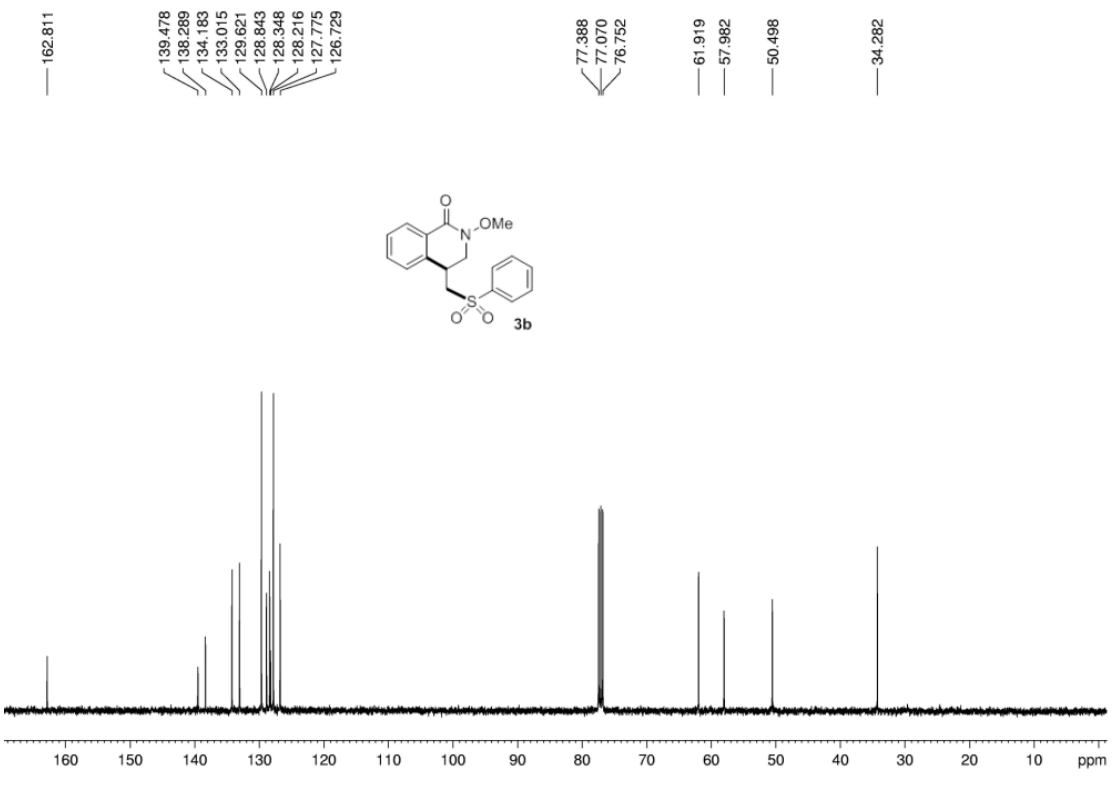
1.02
1.01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128.348
128.216
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77.388
77.070
76.752
61.919
57.982
50.498
34.282
OMe
N
O
S
O
O
3b
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150
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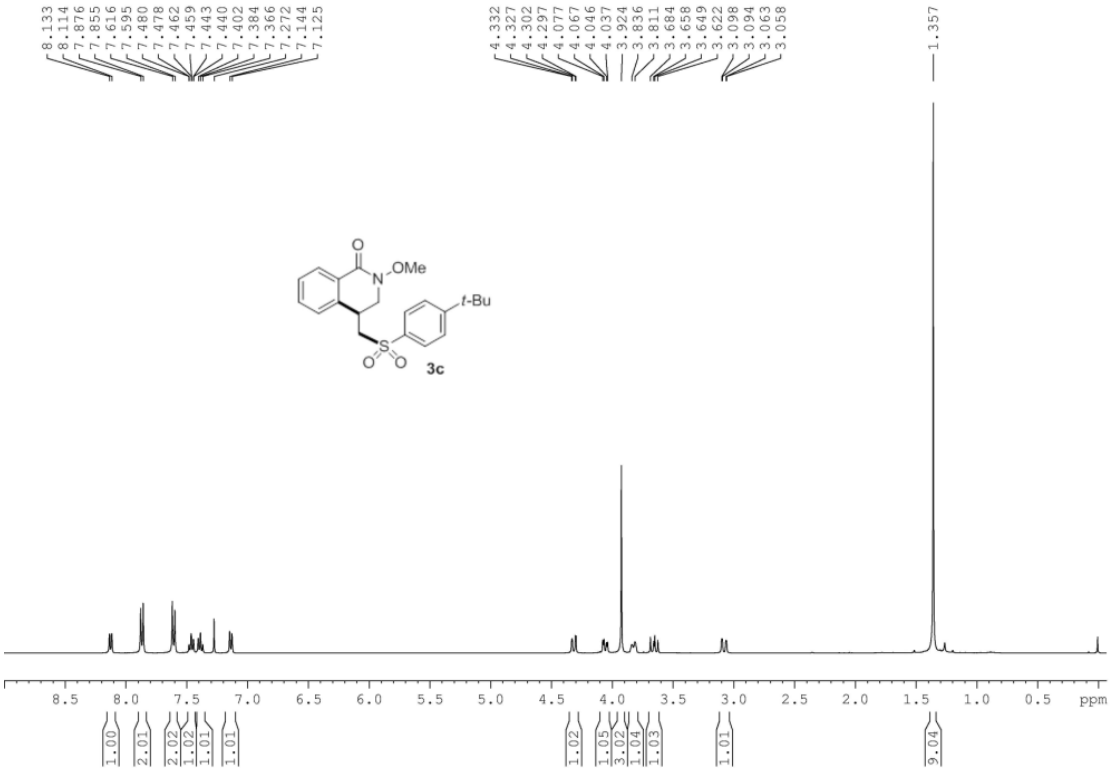
10
ppm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7.478
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7.459
7.443
7.440
7.402
7.384
7.366
7.272
7.144
7.125
4.332
4.327
4.302
4.297
4.077
4.067
4.046
4.037
3.924
3.836
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3.684
3.658
3.649
3.622
3.098
3.094
3.063
3.058
1.357
OMe
t-Bu
3c
8.5
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
ppm
1.00
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2.02
1.02
1.01
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1.02
1.05
3.02
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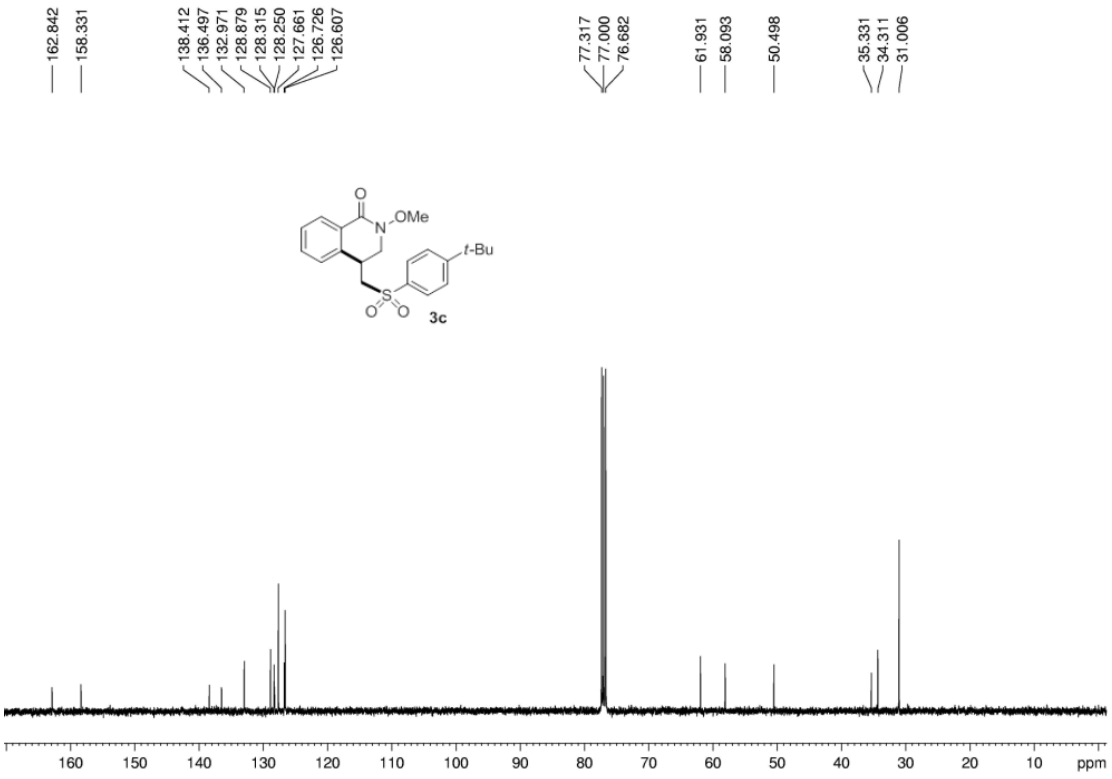
1.03
1.01
9.04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126.726
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77.317
77.000
76.682
61.931
58.093
50.498
35.331
34.311
31.006
OMe
t-Bu
3c
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150
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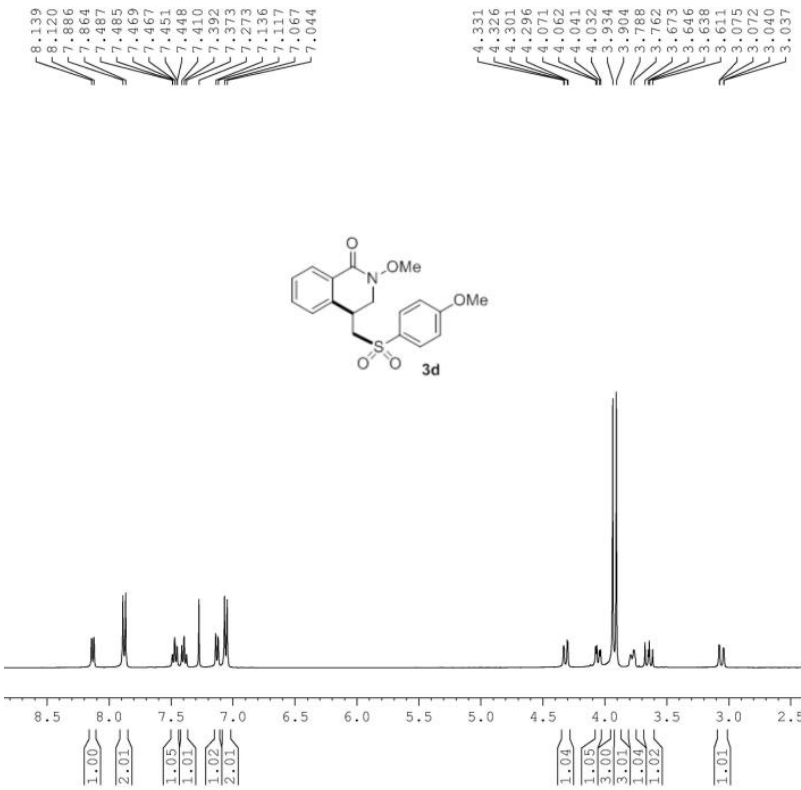
10
ppm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7.373
7.273
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7.067
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4.301
4.296
4.071
4.062
4.041
4.032
3.934
3.904
3.788
3.762
3.673
3.646
3.638
3.611
3.075
3.072
3.040
3.037
OMe
OMe
3d
8.5 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 ppm
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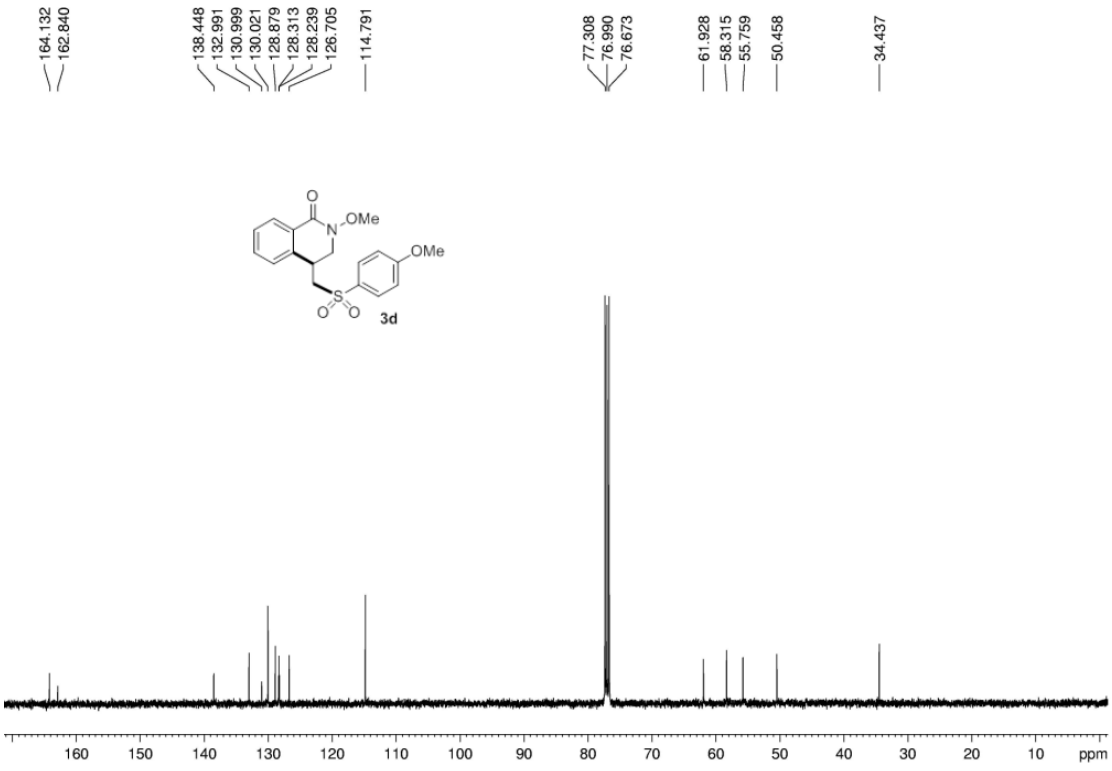
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126.705
114.791
77.308
76.990
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55.759
50.458
34.437
OMe
OMe
3d
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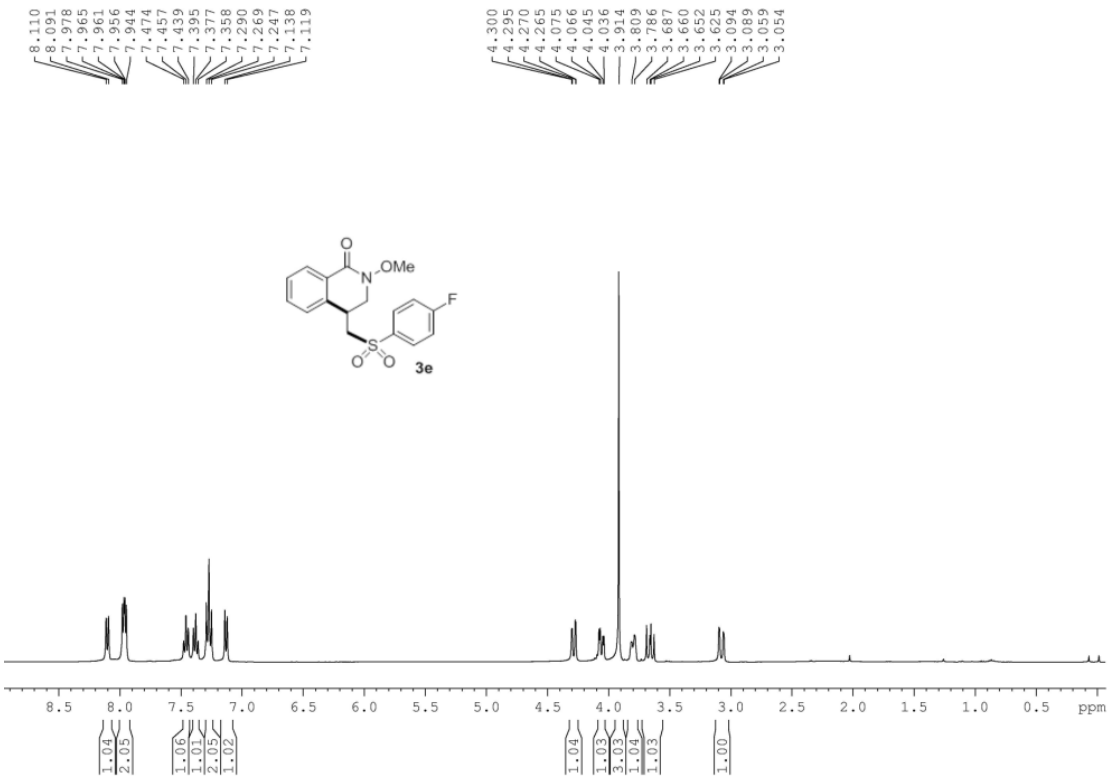
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7.269
7.247
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4.295
4.270
4.265
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4.045
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3.089
3.059
3.054
OMe
F
3e
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6.0
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4.5
4.0
3.5
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1.01
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1.03
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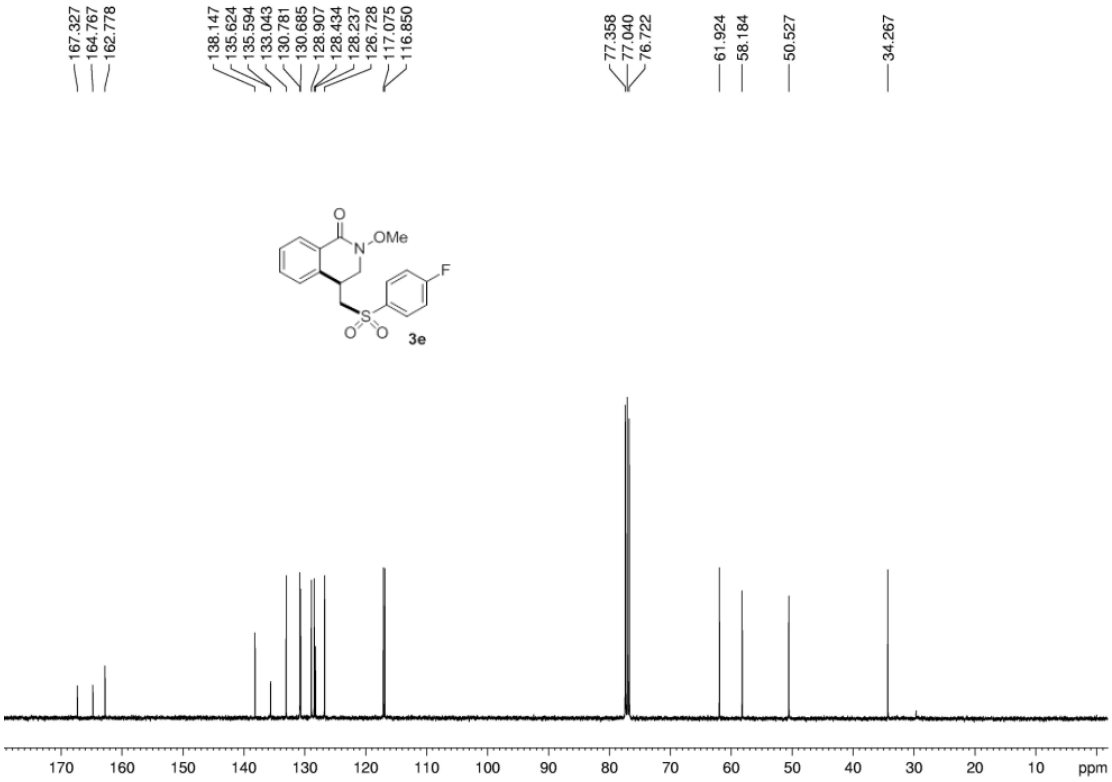
1.00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117.075
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77.040
76.722
61.924
58.184
50.527
34.267
OMe
F
3e
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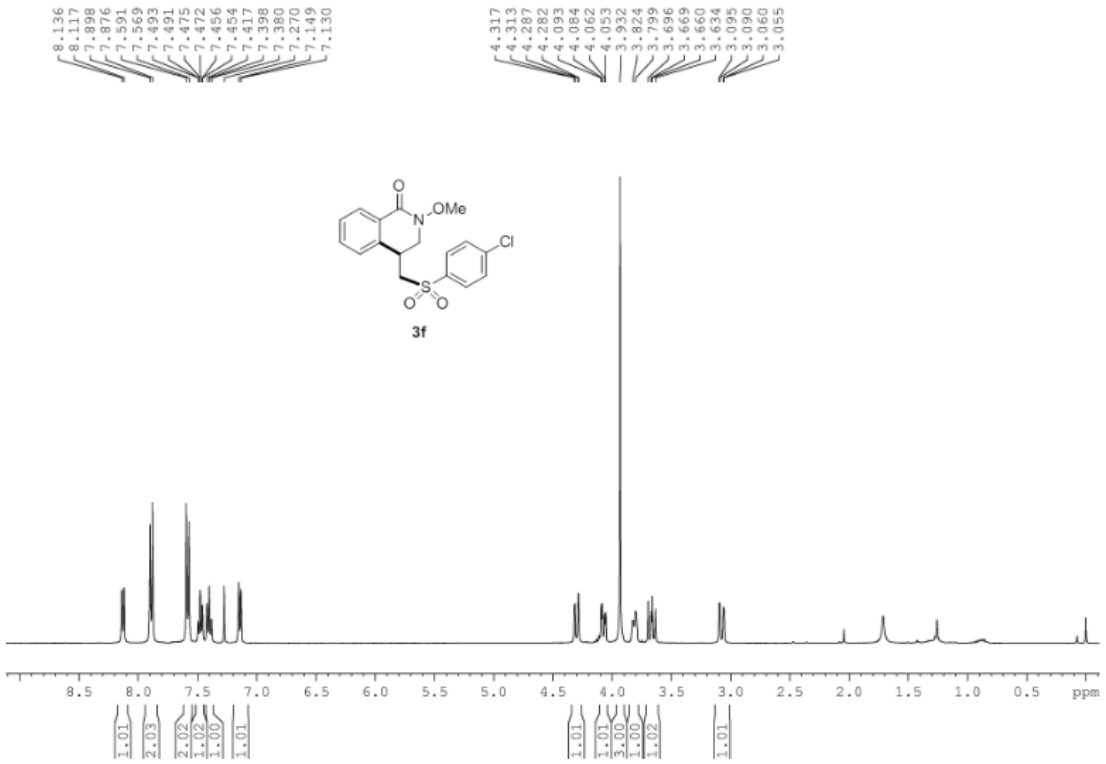
10
ppm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4.062
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Cl
3f
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2.5
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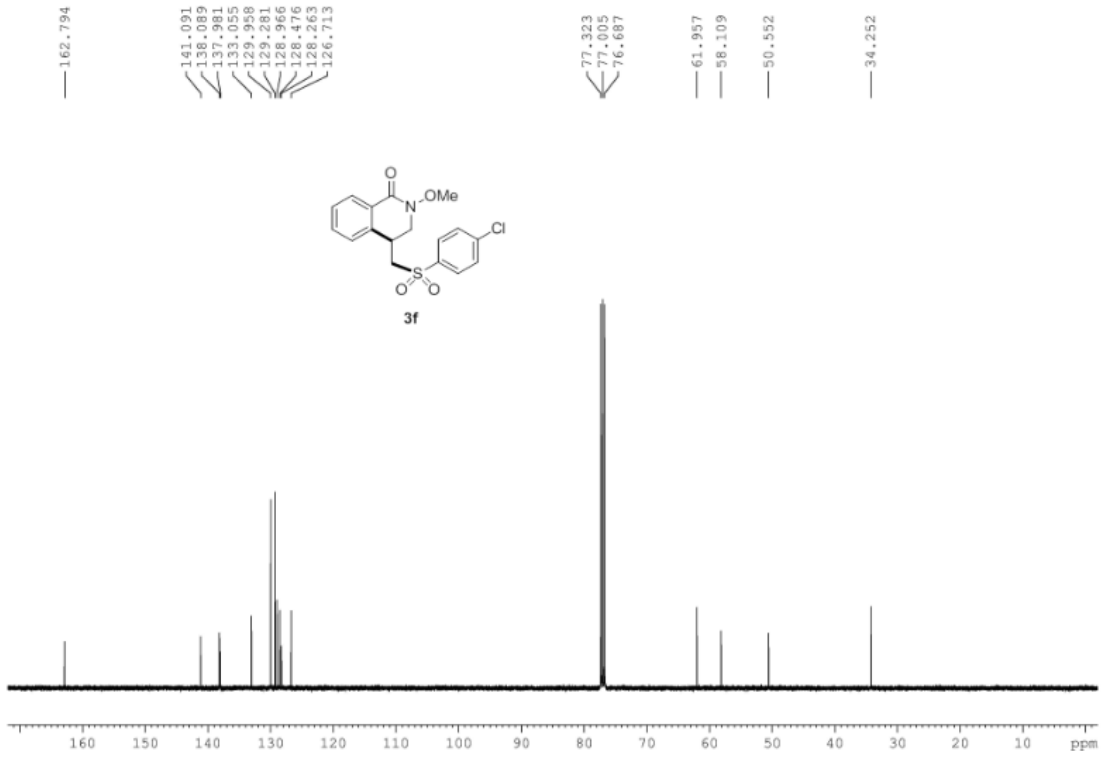
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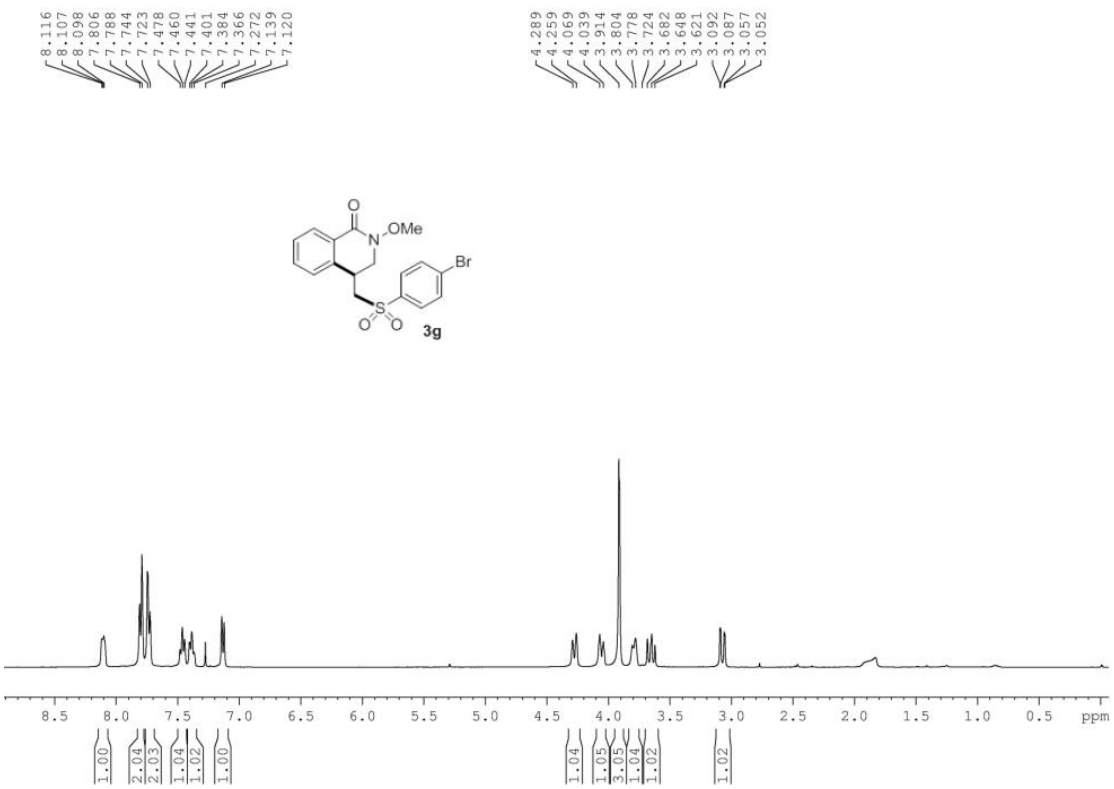
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7.272
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3.621
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3.087
3.057
3.052
OMe
Br
3g
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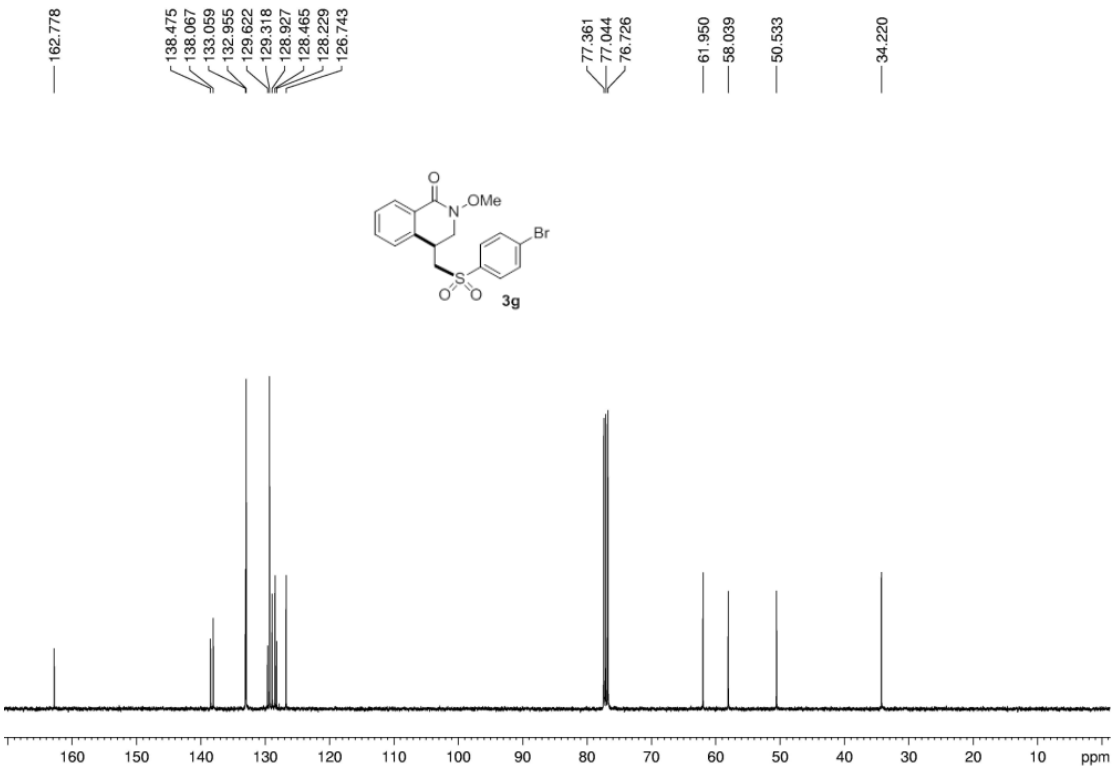
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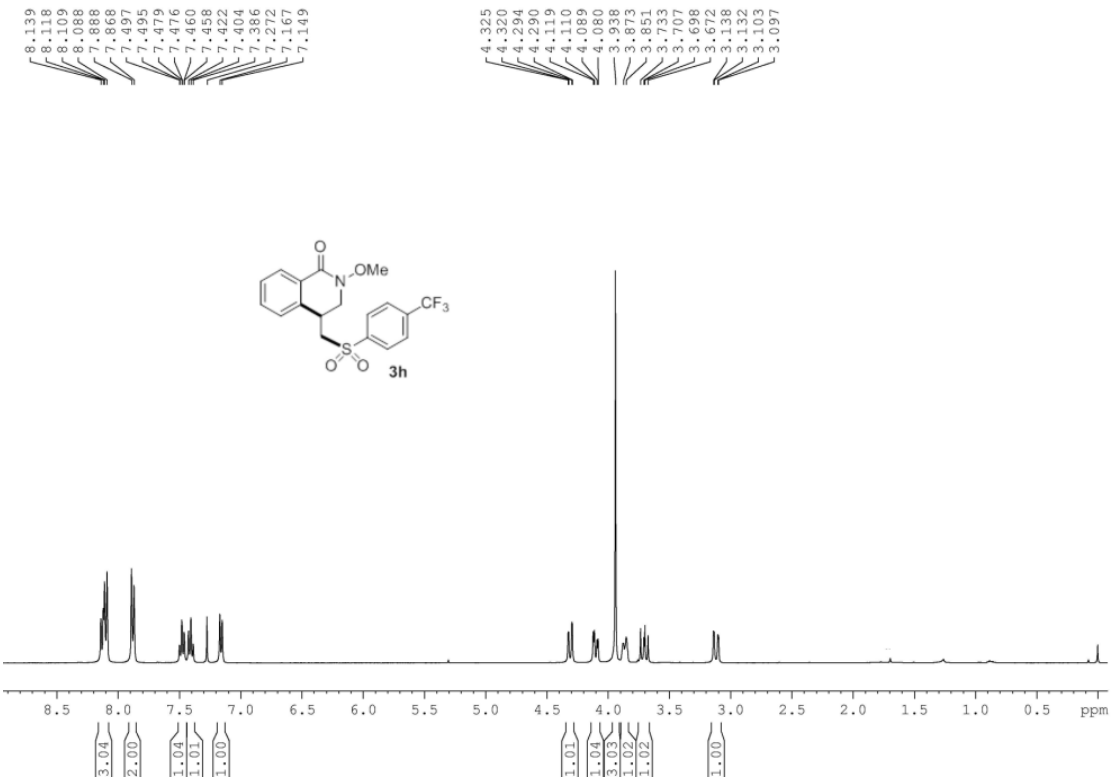
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7.422
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3.103
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CF3
3h
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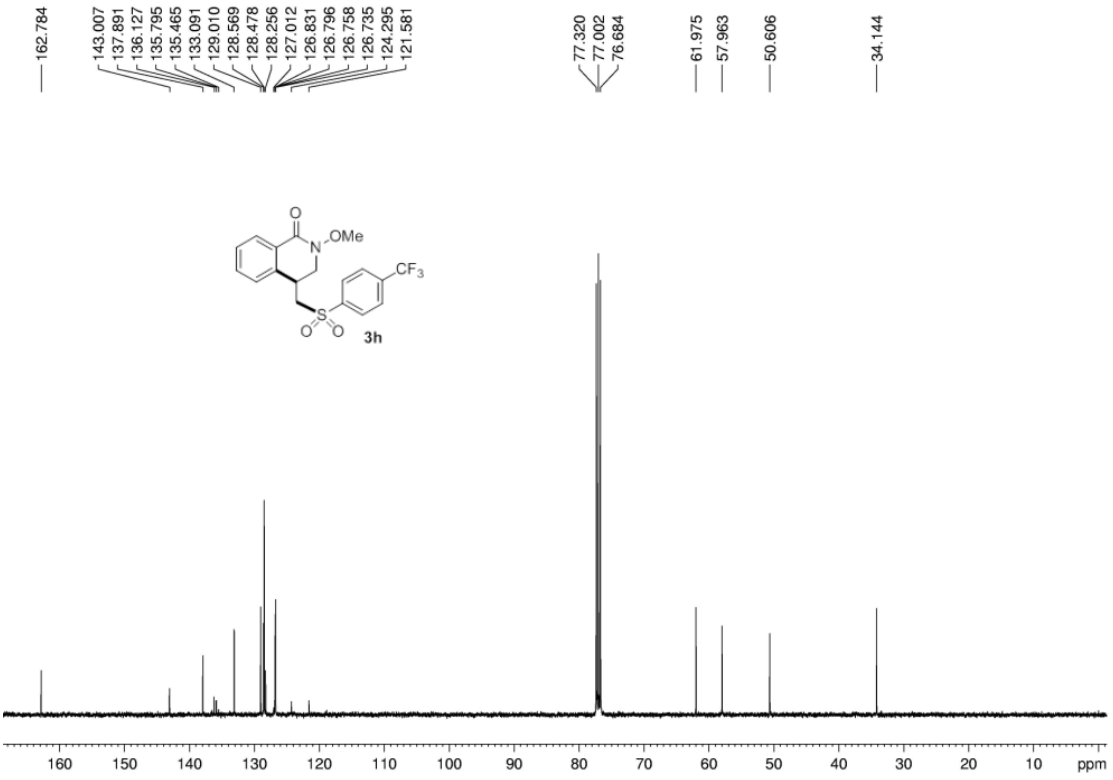
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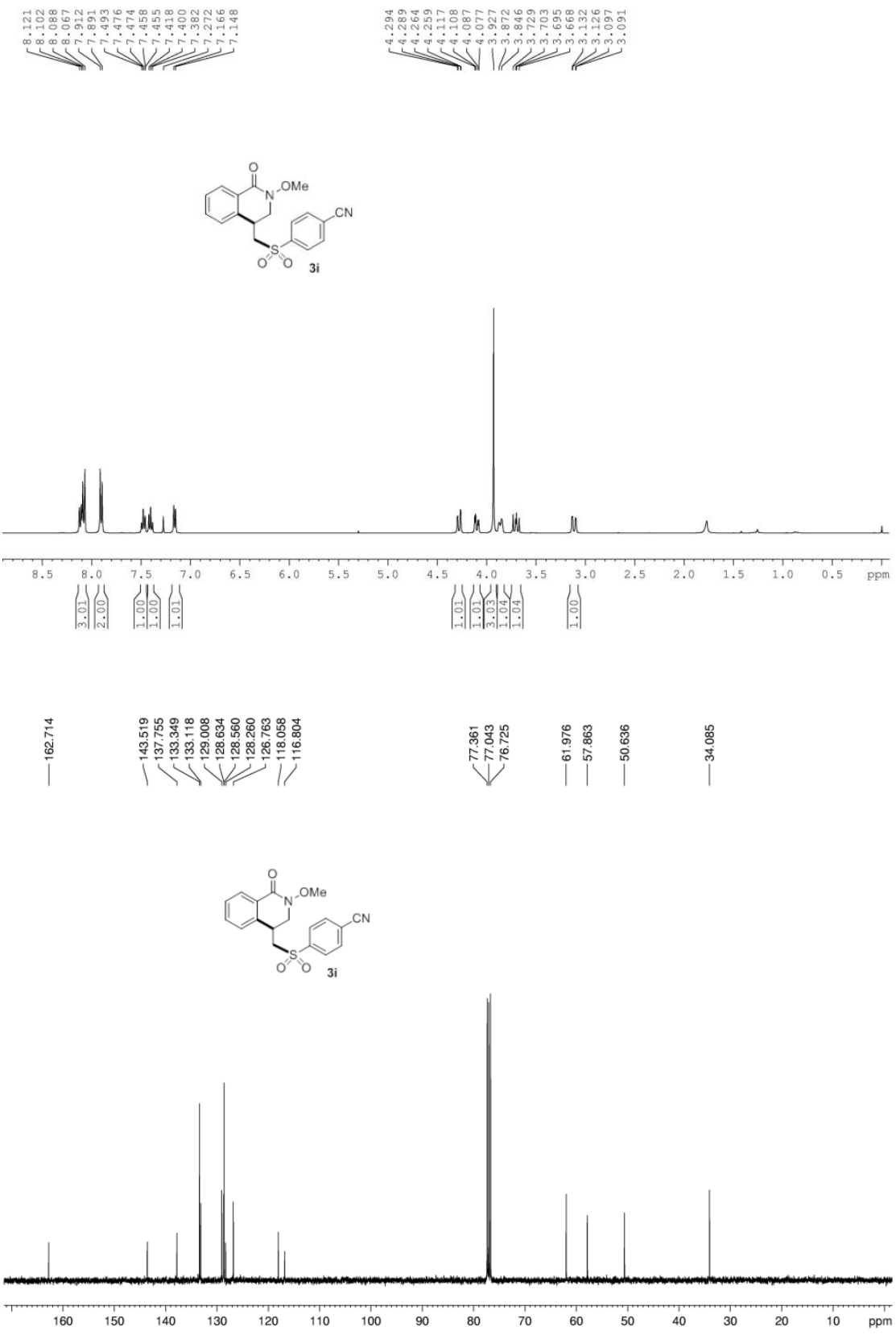
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OMe
CN
3i
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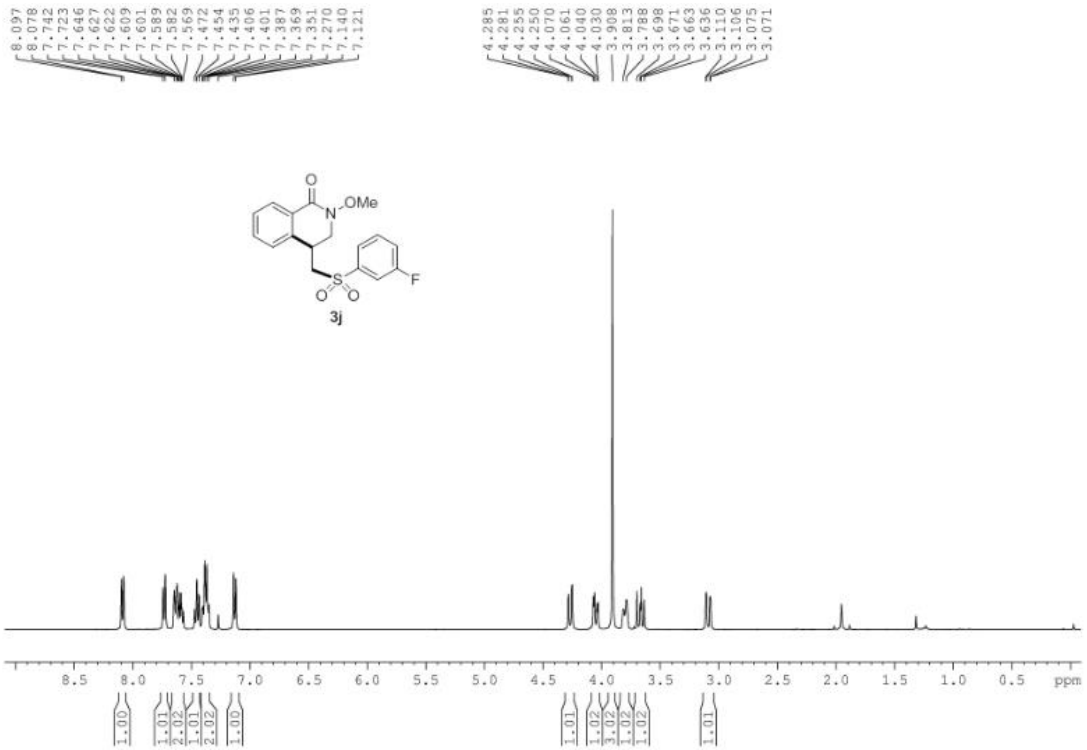
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O
N
OMe
S
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O
F
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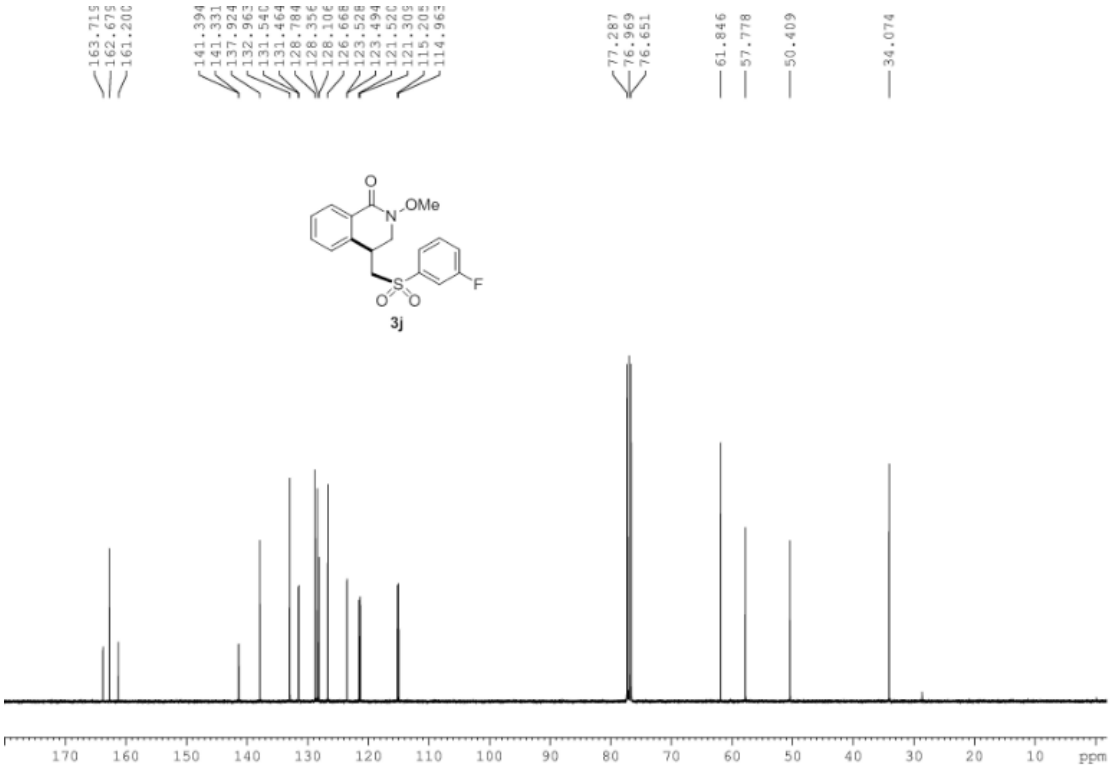
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O
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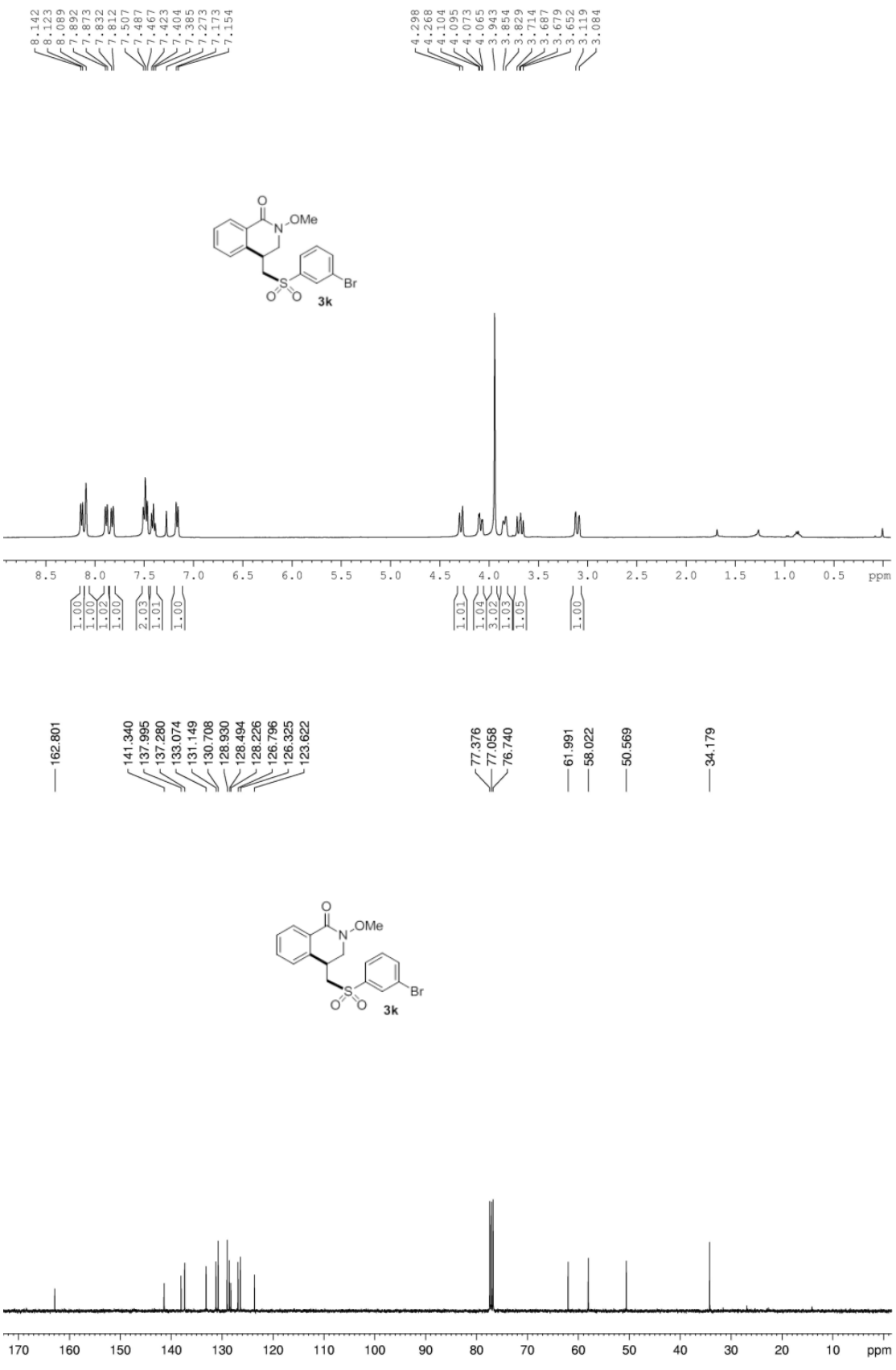
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Br
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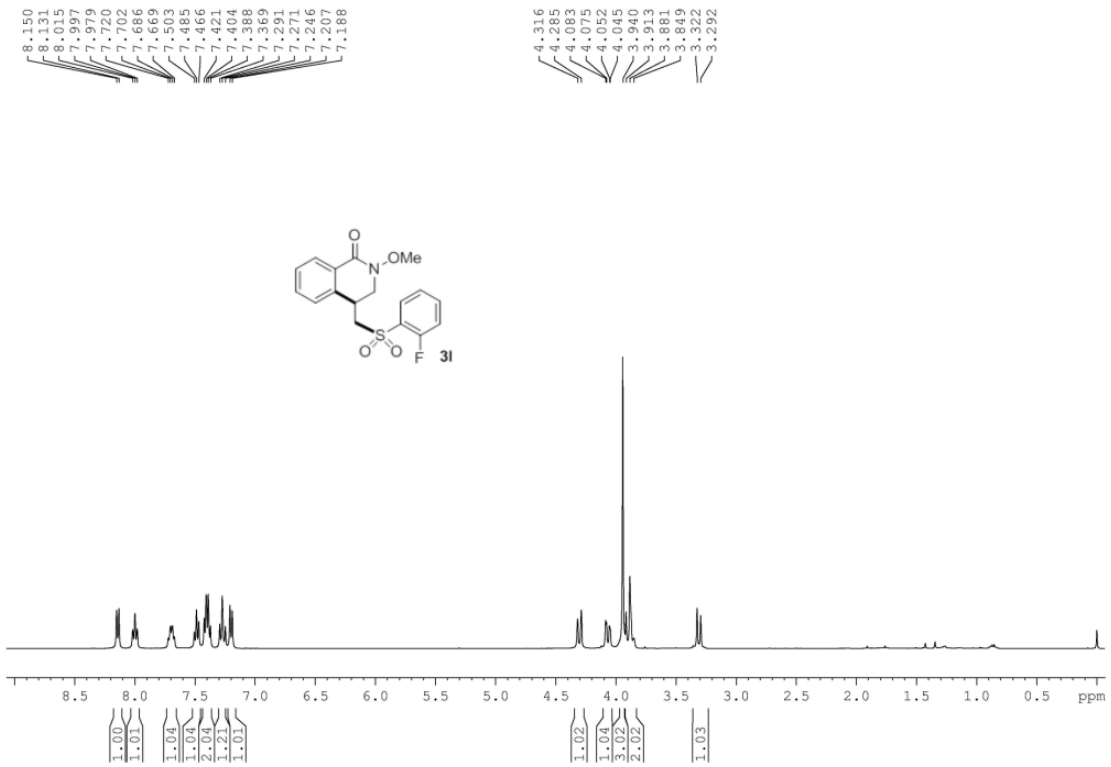
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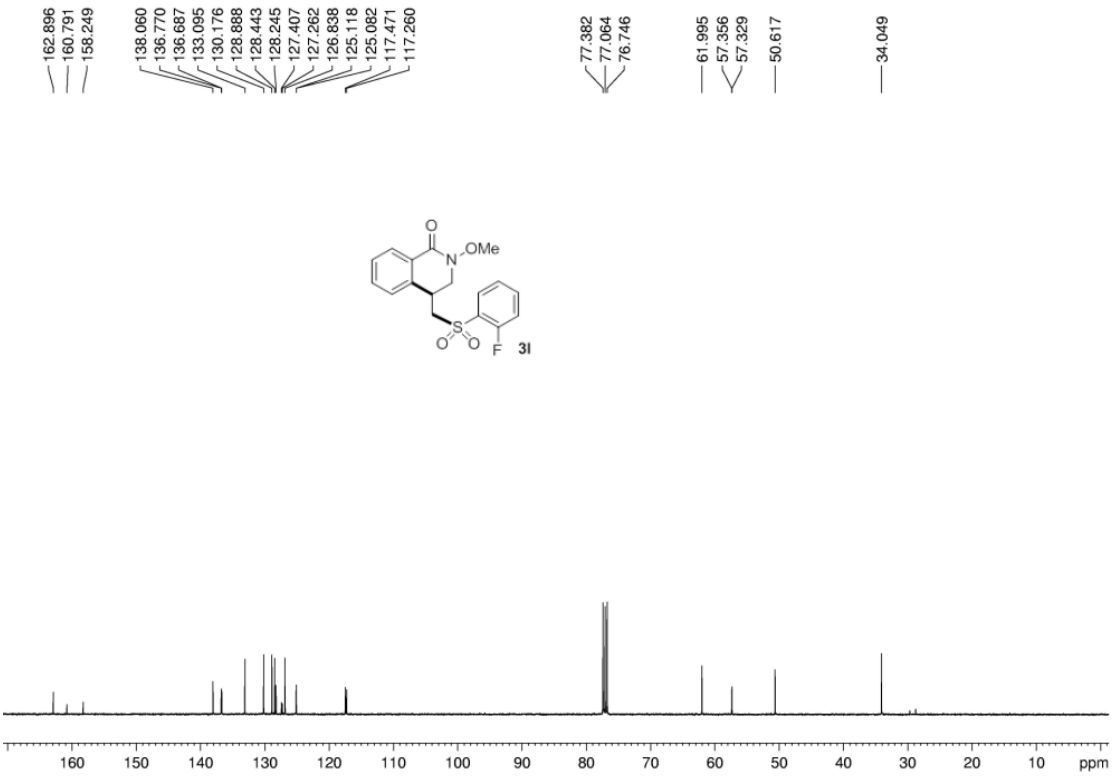
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117.260
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76.746
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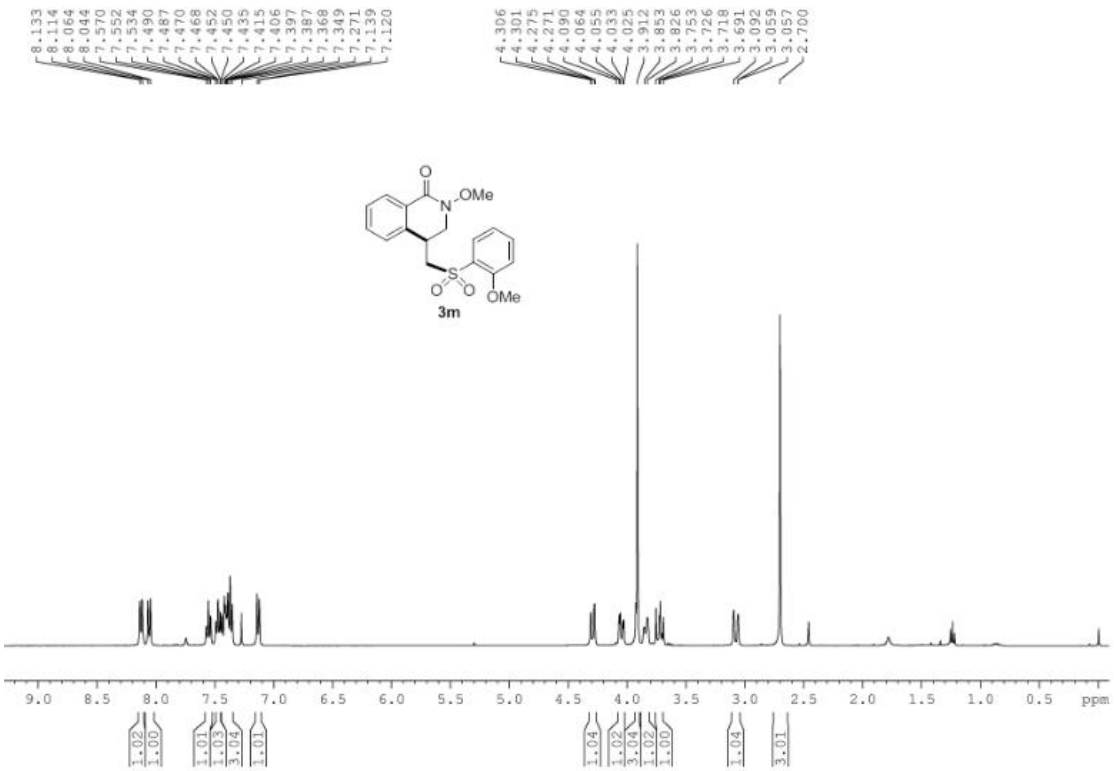
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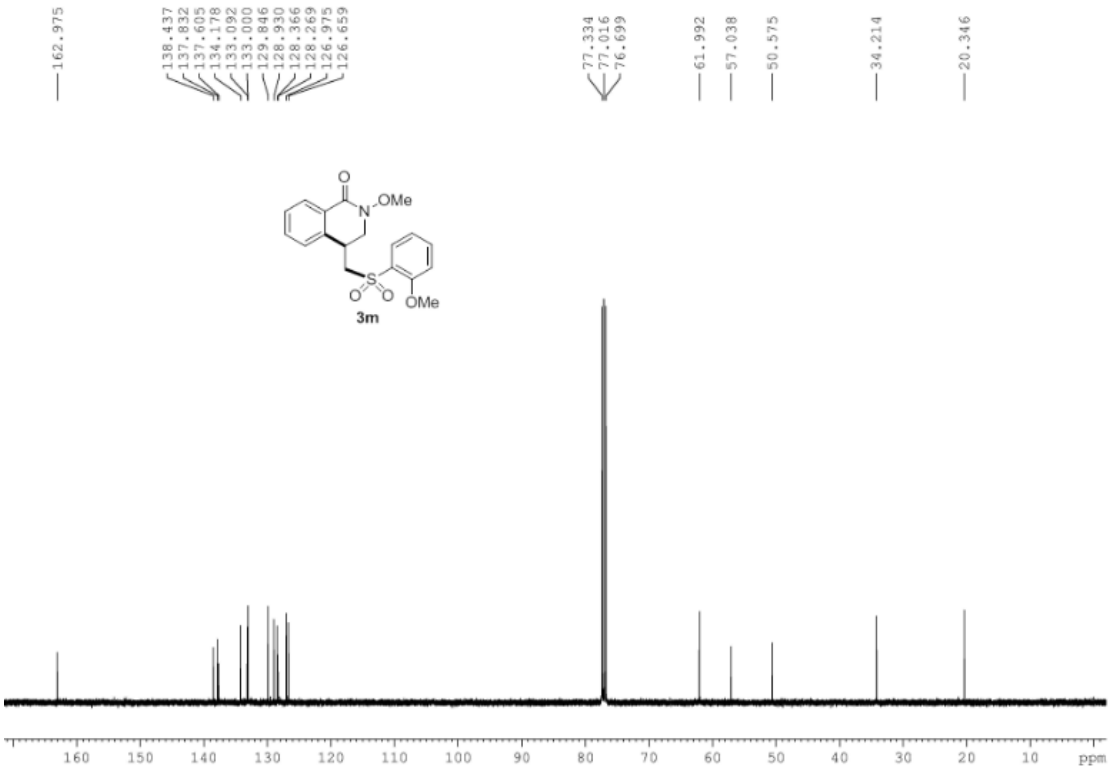
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S
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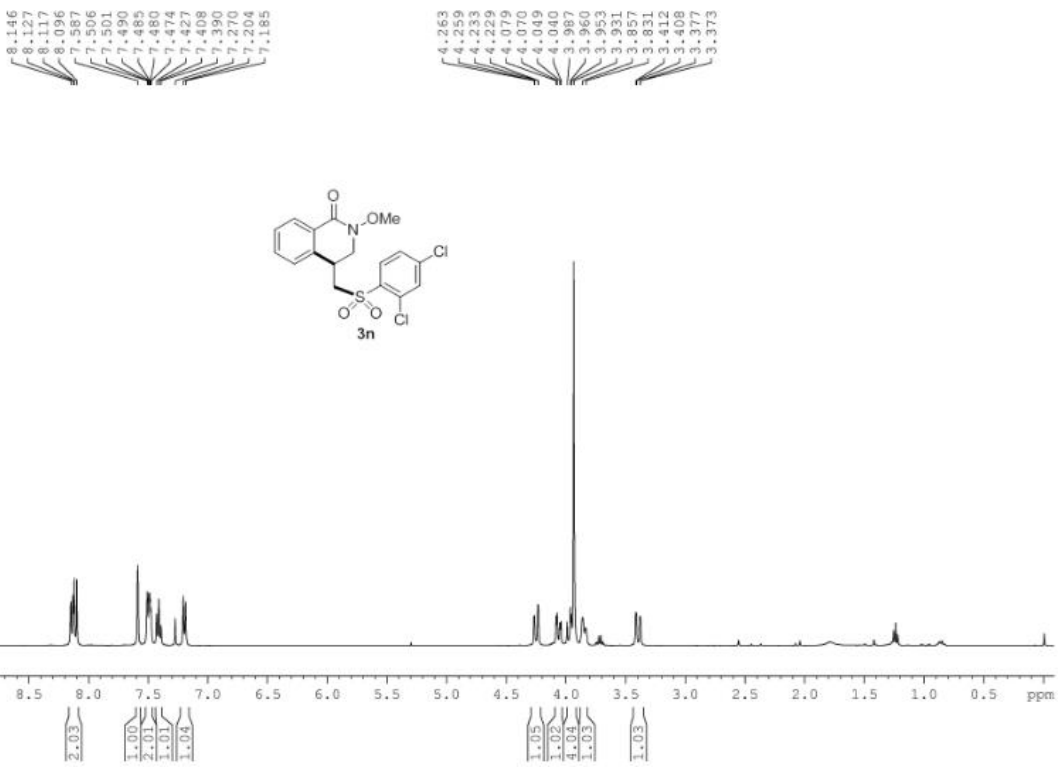
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Cl
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O
O
Cl
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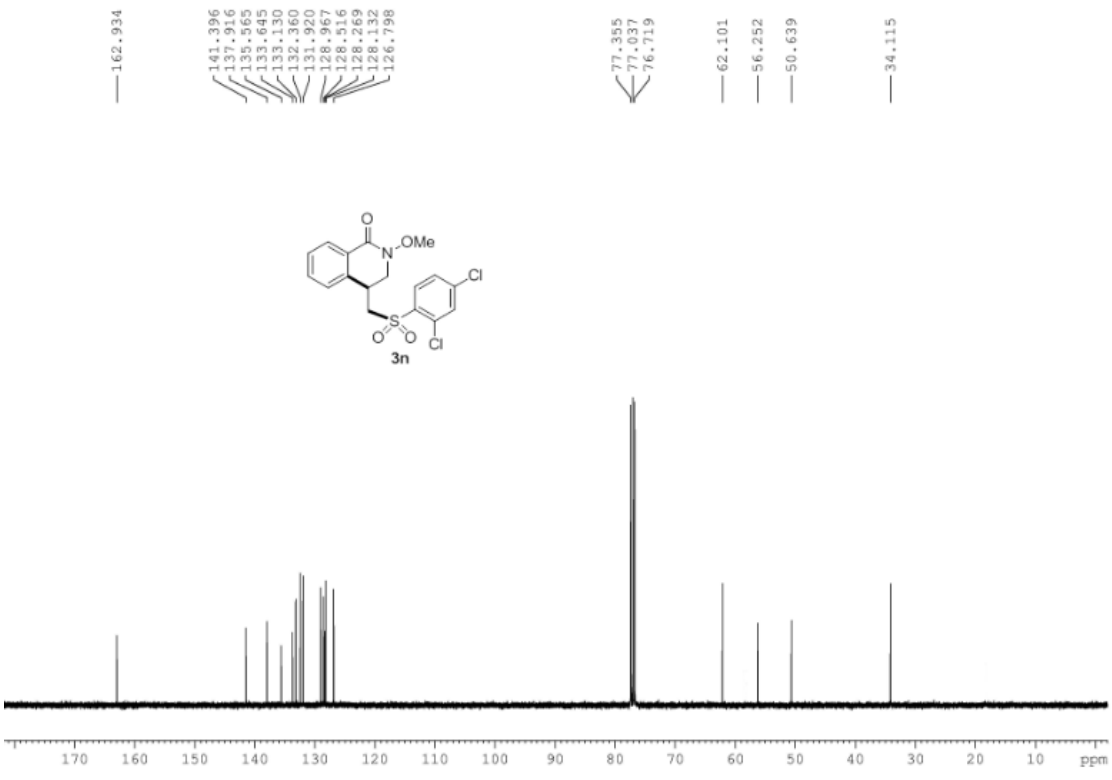
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N
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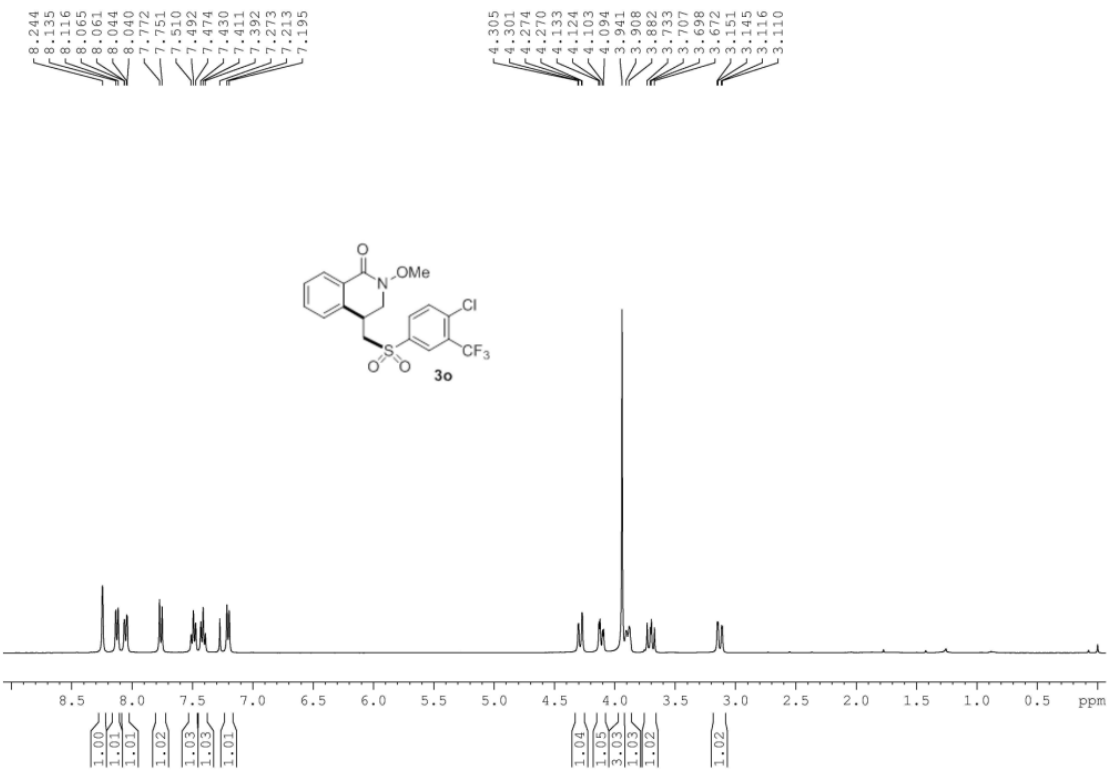
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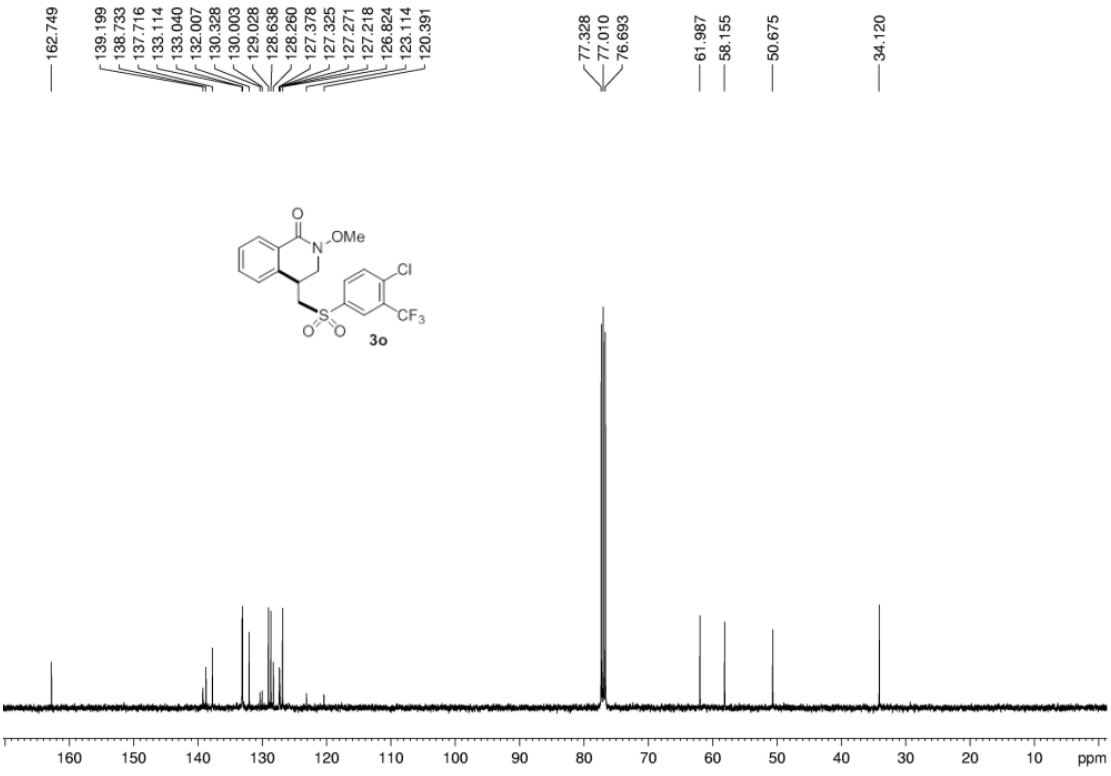
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10
ppm


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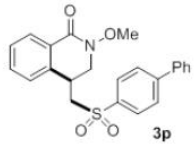
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Ph
S
O
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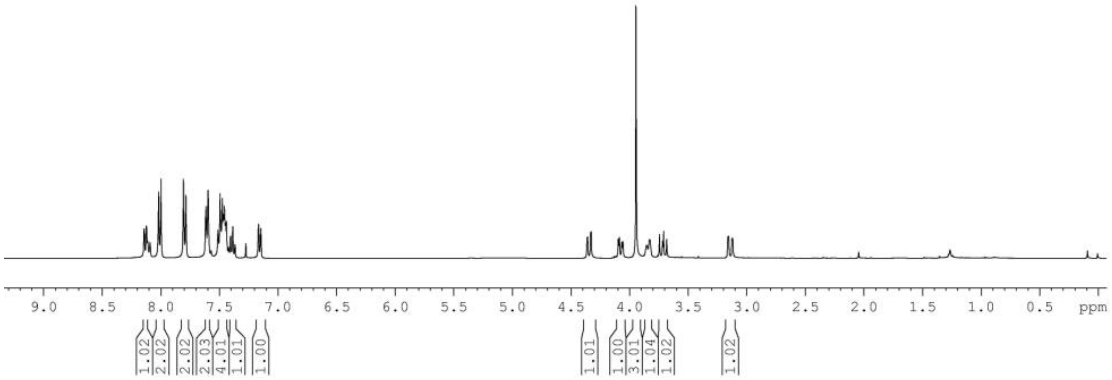
3p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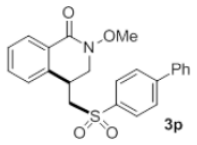
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OMe
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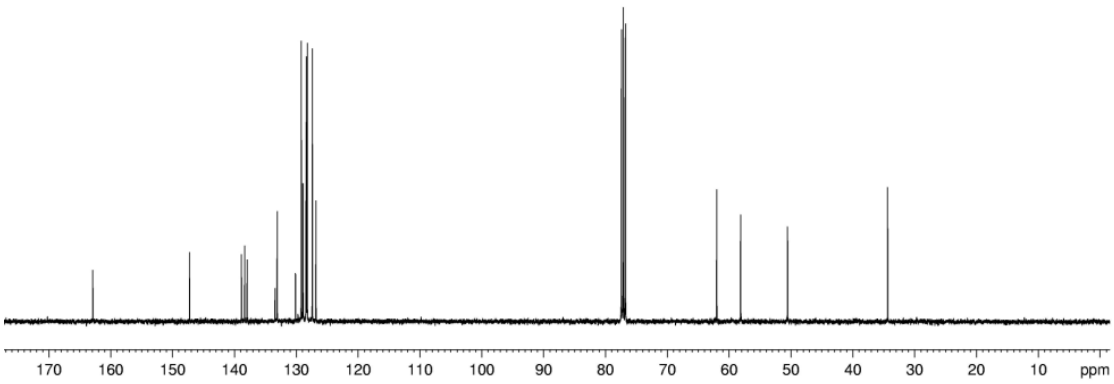
3p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10
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8.101
8.052
8.030
8.003
7.959
7.939
7.897
7.893
7.875
7.871
7.723
7.706
7.688
7.684
7.678
7.676
7.658
7.641
7.451
7.435
7.433
7.417
7.382
7.363
7.345
7.272
7.120
7.102
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4.354
4.329
4.324
4.085
4.077
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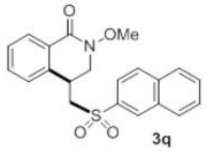
3.709
3.189
3.154


O
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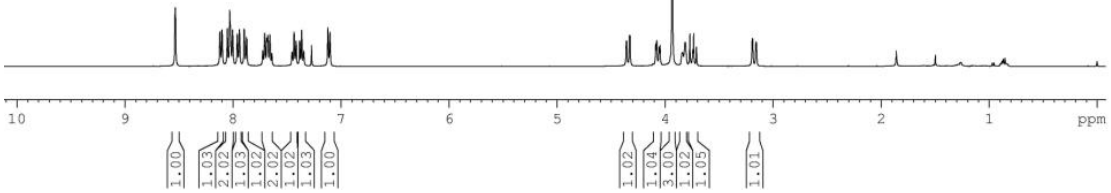
OMe
S
O
O

3q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1.02
2.02
1.02
1.03
1.00
1.02
1.04
3.00
1.02
1.05
1.01


162.856
138.293
136.219
135.441
133.001
132.182
130.049
129.749
129.639
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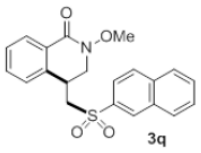
34.382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OMe
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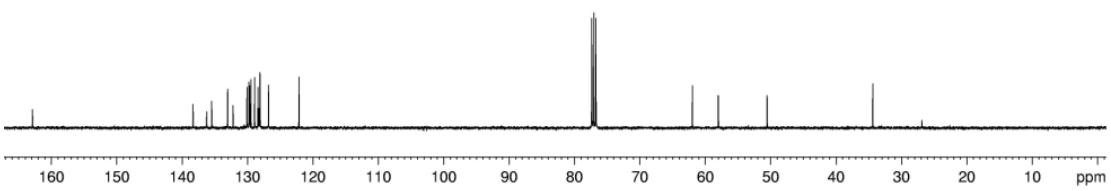
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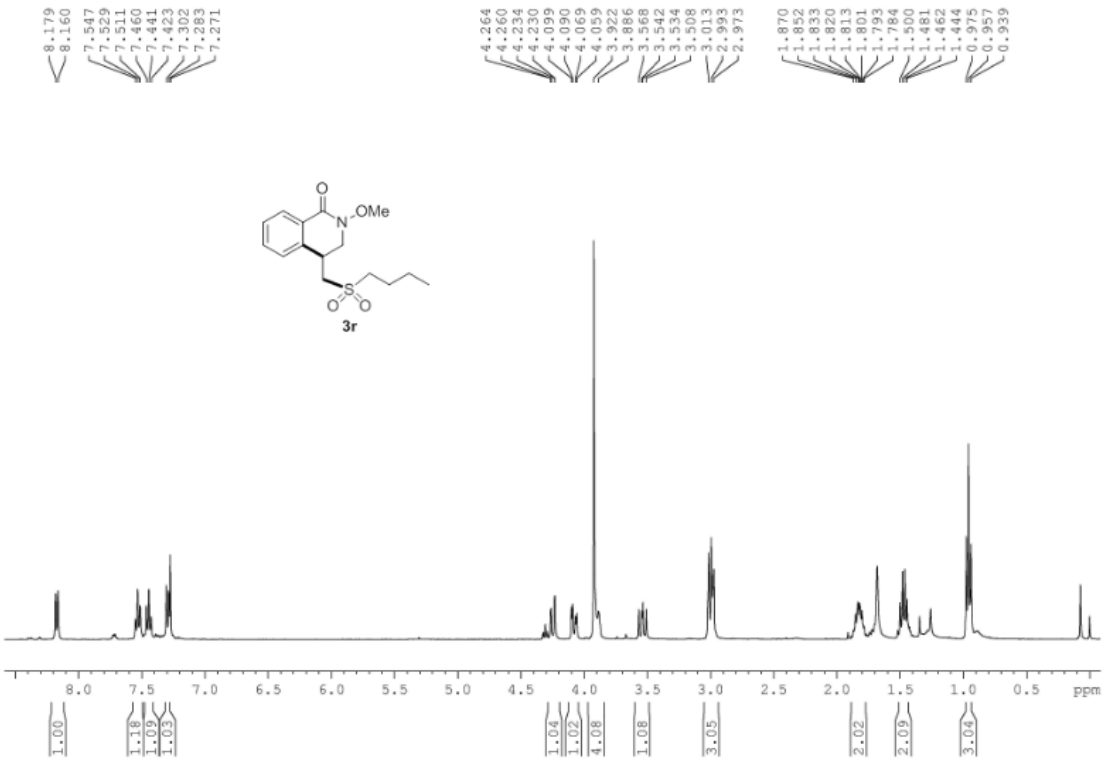
10
ppm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7.511
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7.423
7.302
7.283
7.271
4.264
4.260
4.234
4.230
4.099
4.090
4.069
4.059
3.922
3.886
3.568
3.542
3.534
3.508
3.013
2.993
2.973
1.870
1.852
1.833
1.820
1.813
1.801
1.793
1.784
1.500
1.481
1.462
1.444
0.975
0.957
0.939
OMe
3r
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
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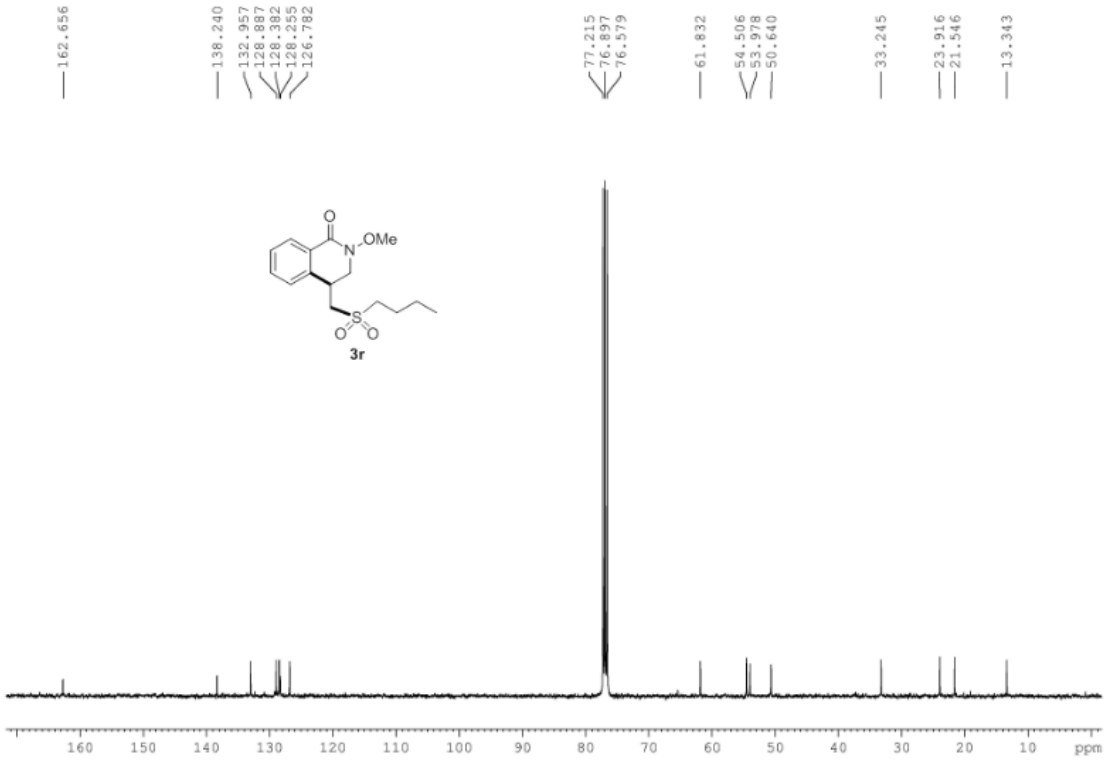
1.02
4.08
1.08
3.05
2.02
2.09
3.04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128.382
128.255
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77.215
76.897
76.579
61.832
54.506
53.978
50.640
33.245
23.916
21.546
13.343
OMe
3r
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150
140
130
120
110
100
90
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70
60
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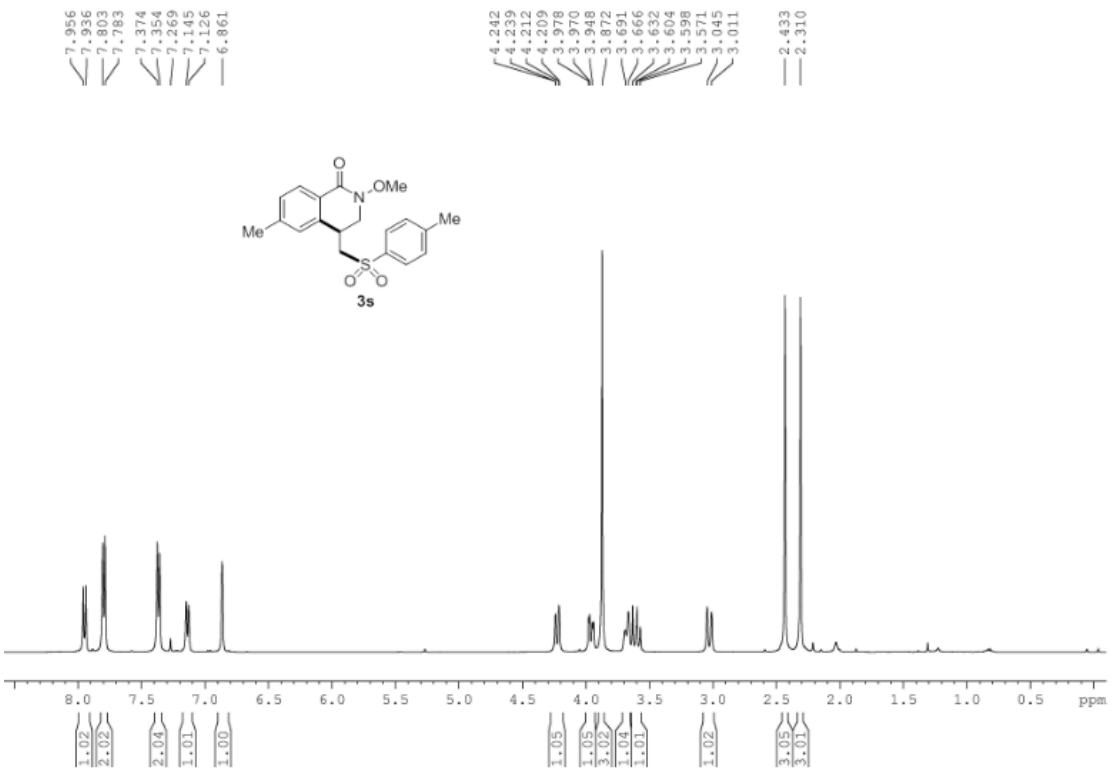
30
20
10
ppm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7.374
7.354
7.269
7.145
7.126
6.861
4.242
4.239
4.212
4.209
3.978
3.970
3.948
3.872
3.691
3.666
3.632
3.604
3.598
3.571
3.045
3.011
2.433
2.310
OMe
N
Me
Me
S
O
O
3s
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
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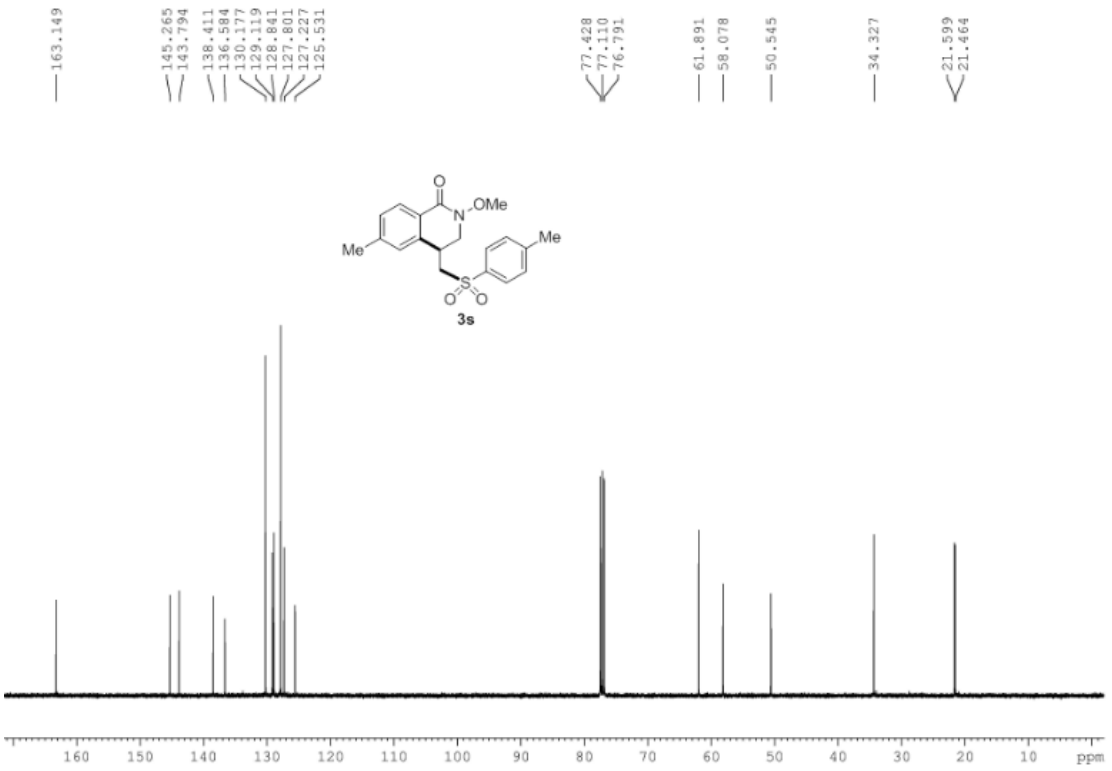
2.04
1.01
1.00
1.05
3.02
1.04
1.01
1.02
3.05
3.01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130.177
129.119
128.841
127.801
127.227
125.531
77.428
77.110
76.791
61.891
58.078
50.545
34.327
21.599
21.464
OMe
N
Me
Me
S
O
O
3s
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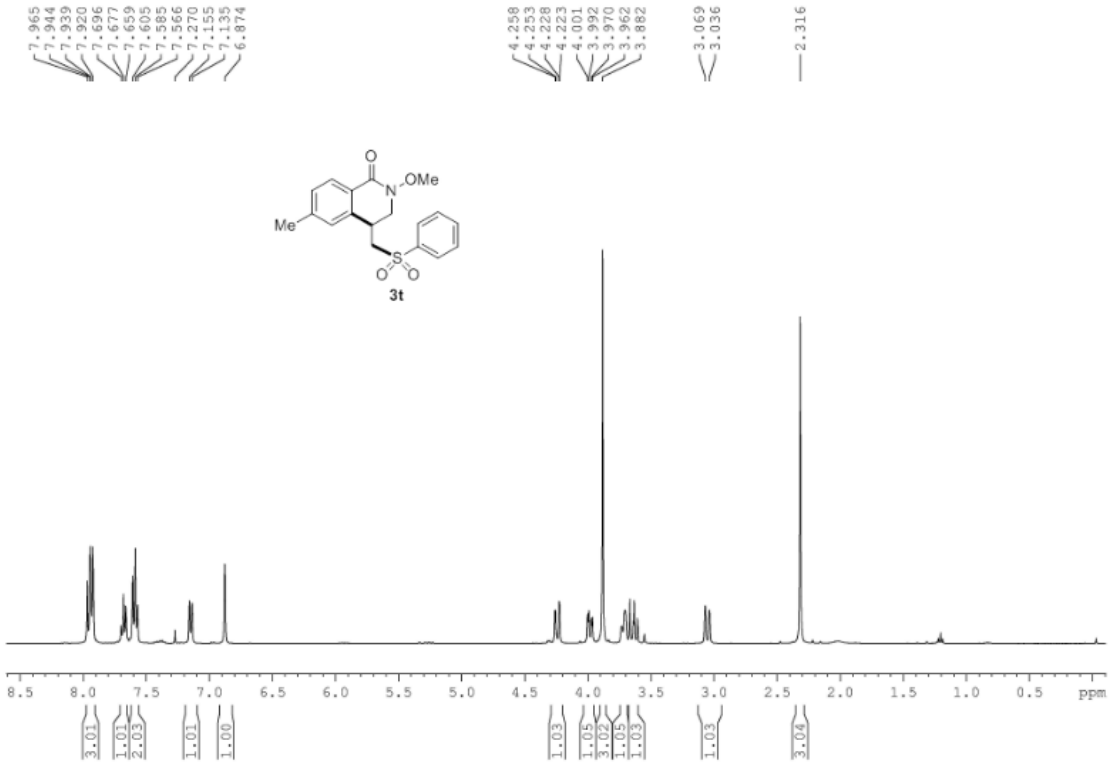
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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7.677
7.659
7.605
7.585
7.566
7.270
7.155
7.135
6.874
4.258
4.253
4.228
4.223
4.001
3.992
3.970
3.962
3.882
3.069
3.036
2.316
OMe
Me
3t
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
ppm
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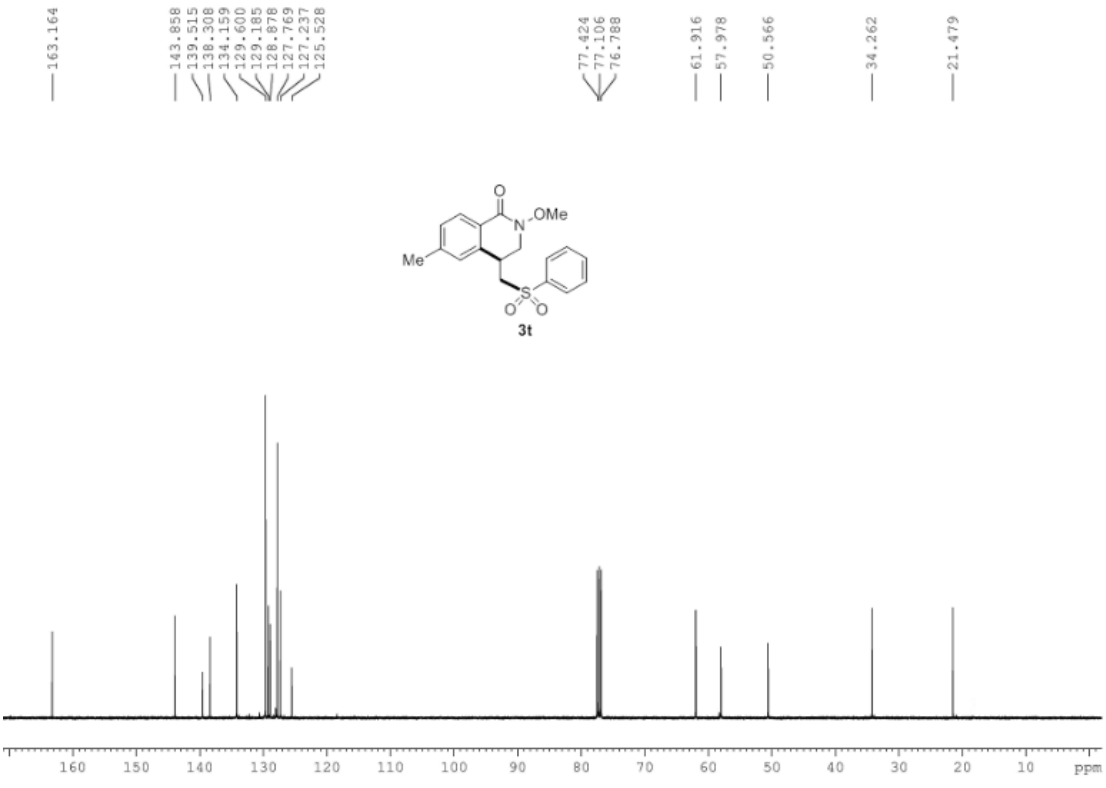
1.01
2.03
1.01
1.00
1.03
1.05
3.02
1.05
1.03
1.03
3.04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129.185
128.878
127.769
127.237
125.528
77.424
77.106
76.788
61.916
57.978
50.566
34.262
21.479
OMe
Me
3t
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150
140
130
120
110
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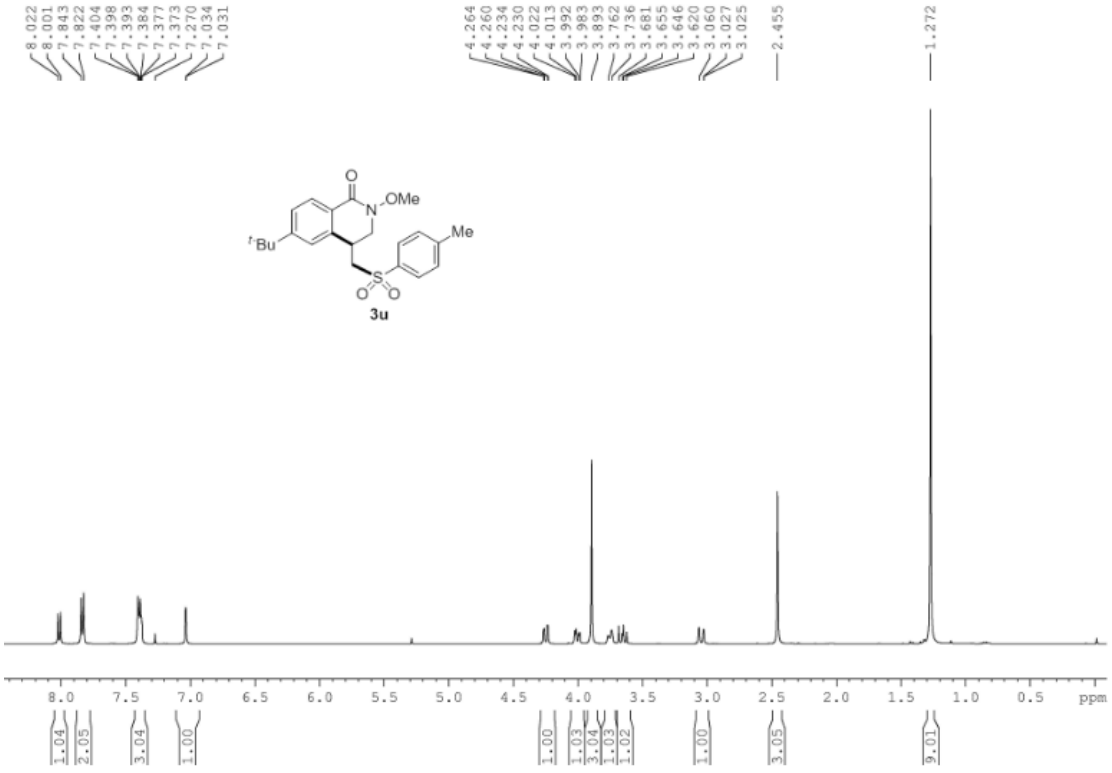
90
80
70
60
50
40
30
20
10
ppm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7.384
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7.373
7.270
7.034
7.031
4.264
4.260
4.234
4.230
4.022
4.013
3.992
3.983
3.893
3.762
3.736
3.681
3.655
3.646
3.620
3.060
3.027
3.025
2.455
1.272
OMe
N
O
tBu
Me
S
O
O
3u
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
ppm
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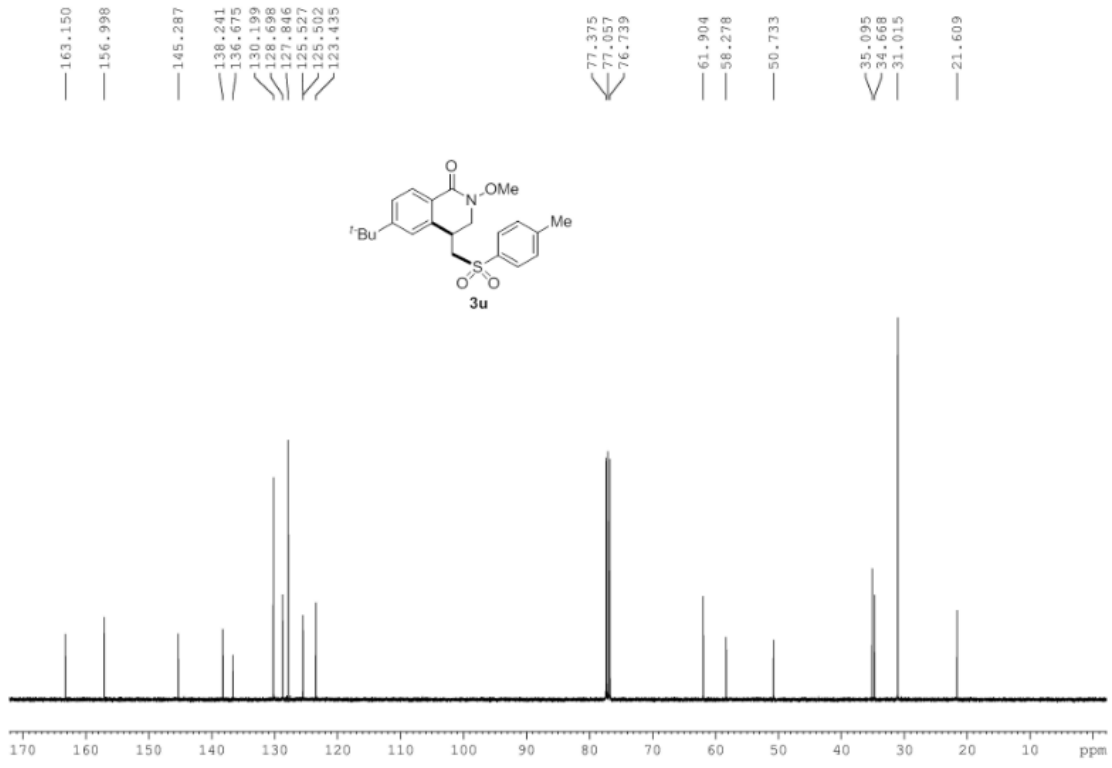
2.05
3.04
1.00
1.00
1.03
3.04
1.03
1.02
1.00
3.05
9.01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127.846
125.527
125.502
123.435
77.375
77.057
76.739
61.904
58.278
50.733
35.095
34.668
31.015
21.609
OMe
N
O
tBu
Me
S
O
O
3u
170
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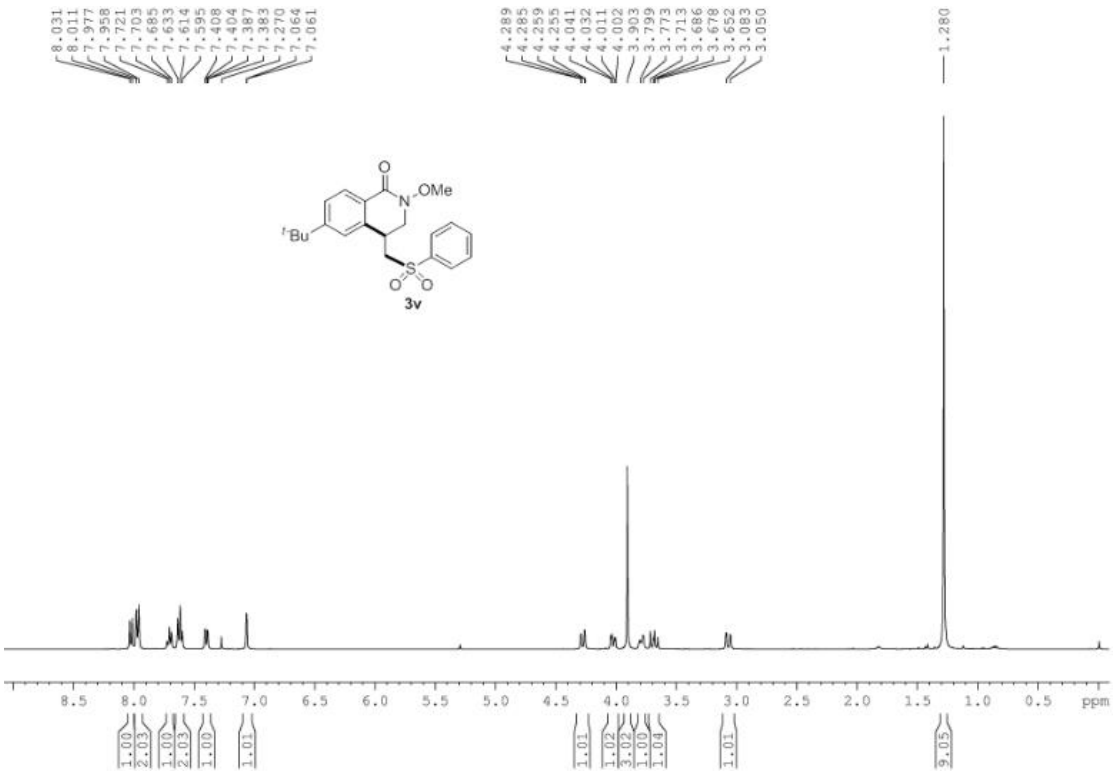
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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7.633
7.614
7.595
7.408
7.404
7.387
7.383
7.270
7.064
7.061
4.289
4.285
4.259
4.255
4.041
4.032
4.011
4.002
3.903
3.799
3.773
3.713
3.686
3.678
3.652
3.083
3.050
1.280
OMe
tBu
3v
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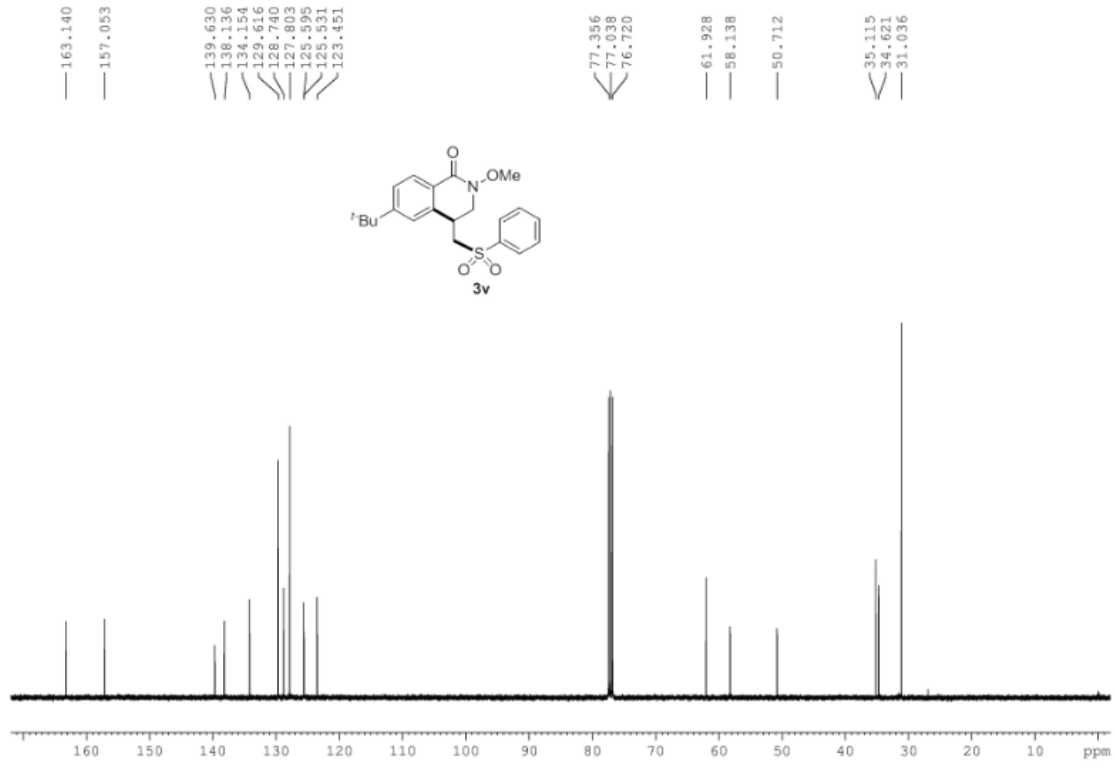
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
ppm
1.00
2.03
1.00
2.03
1.00
1.01
1.01
1.02
3.02
1.00
1.04
1.01
9.05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127.803
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125.531
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77.038
76.720
61.928
58.138
50.712
35.115
34.621
31.036
OMe
tBu
3v
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150
140
130
120
110
100
90
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70
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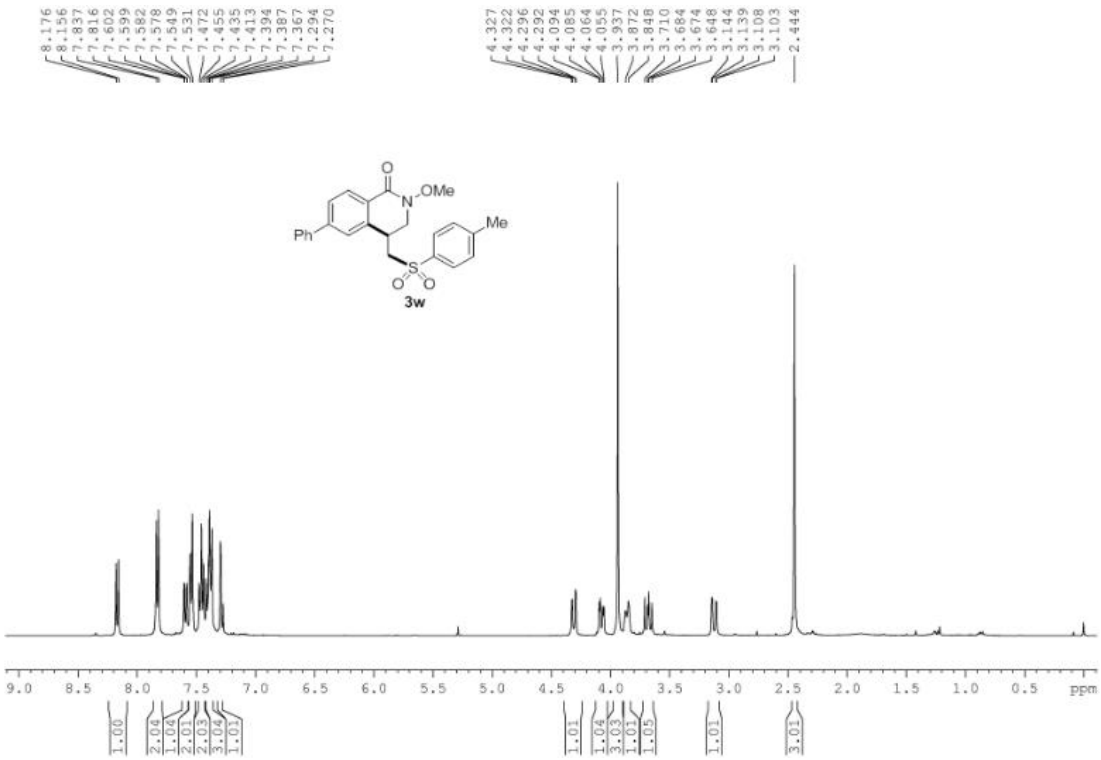
40
30
20
10
ppm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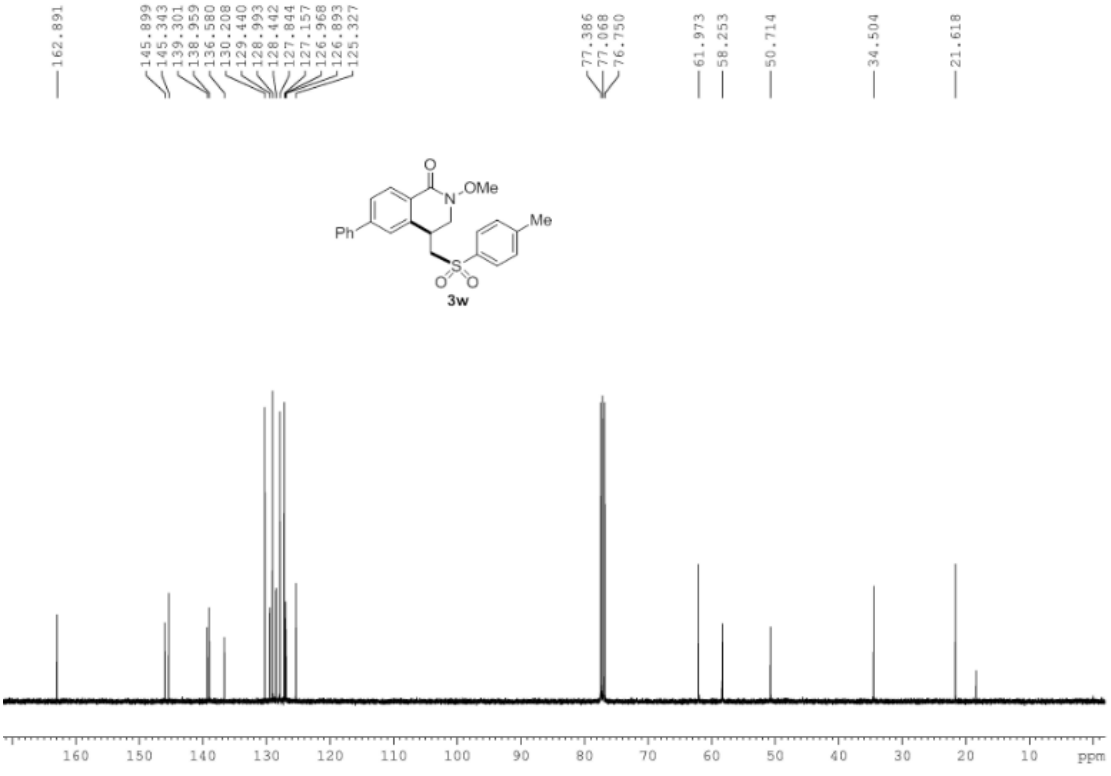
7.435
7.413
7.394
7.387
7.367
7.294
7.270
4.327
4.322
4.296
4.292
4.094
4.085
4.064
4.055
3.937
3.872
3.848
3.710
3.684
3.674
3.648
3.144
3.139
3.108
3.103
2.444
O
N
OMe
Ph
Me
S
O
O
3w
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
ppm
1.00
2.04
1.04
2.01
2.03
3.04
1.01
1.01
1.04
3.03
1.01
1.05
1.01
3.01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129.440
128.993
128.442
127.844
127.157
126.968
126.893
125.327
77.386
77.068
76.750
61.973
58.253
50.714
34.504
21.618
O
N
OMe
Ph
Me
S
O
O
3w
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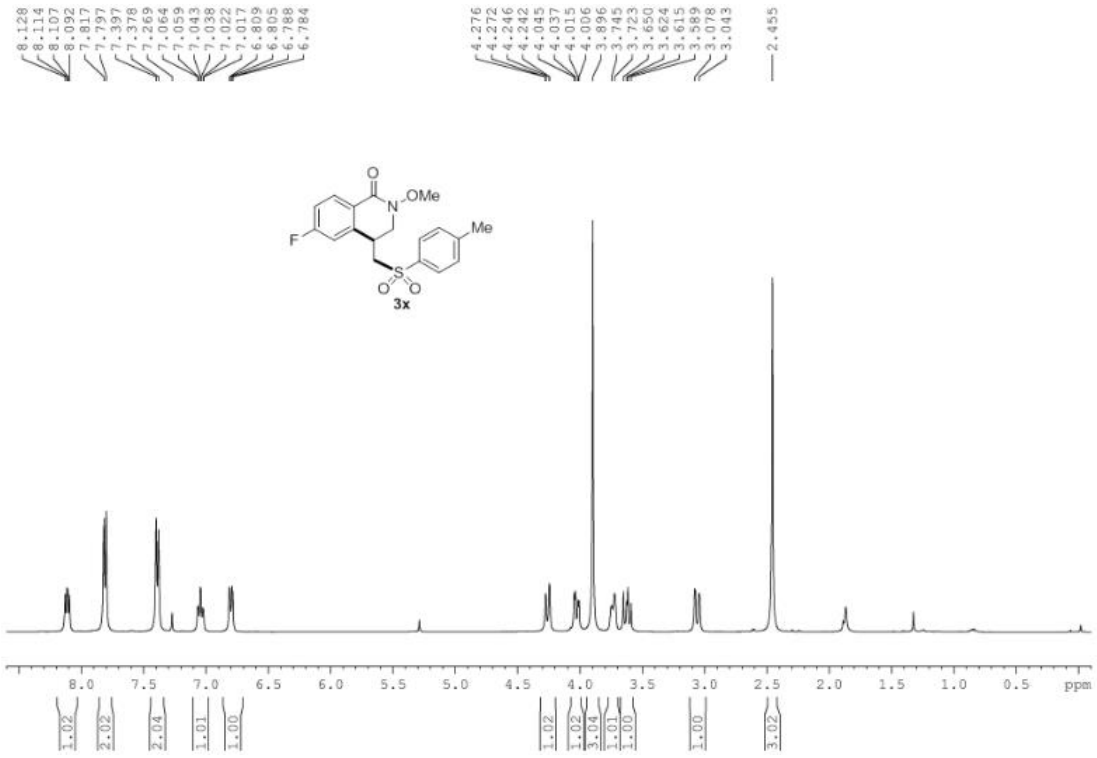
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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7.797
7.397
7.378
7.269
7.064
7.059
7.043
7.038
7.022
7.017
6.809
6.805
6.788
6.784
4.276
4.272
4.246
4.242
4.045
4.037
4.015
4.006
3.896
3.745
3.723
3.650
3.624
3.615
3.589
3.078
3.043
2.455
OMe
Me
F
S
O
3x
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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
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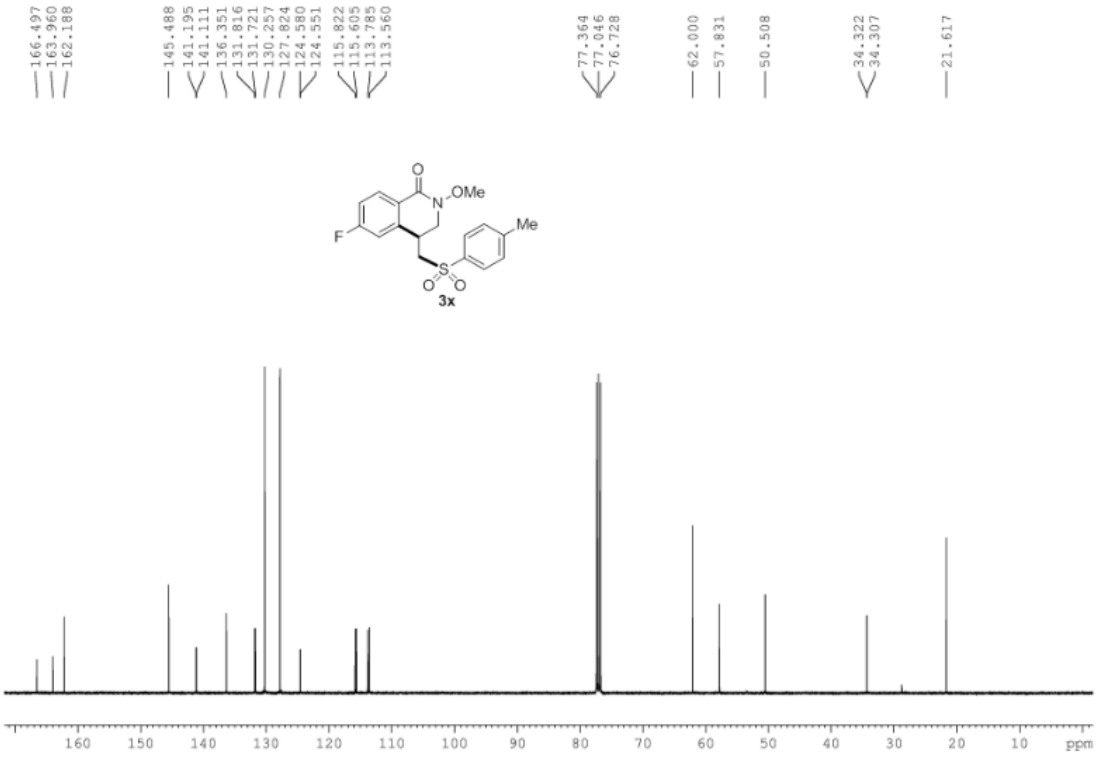
2.04
1.01
1.00
1.02
1.02
3.04
1.01
1.00
1.00
3.02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131.816
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130.257
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124.580
124.551
115.822
115.605
113.785
113.560
77.364
77.046
76.728
62.000
57.831
50.508
34.322
34.307
21.617
OMe
Me
F
S
O
3x
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150
140
130
120
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100
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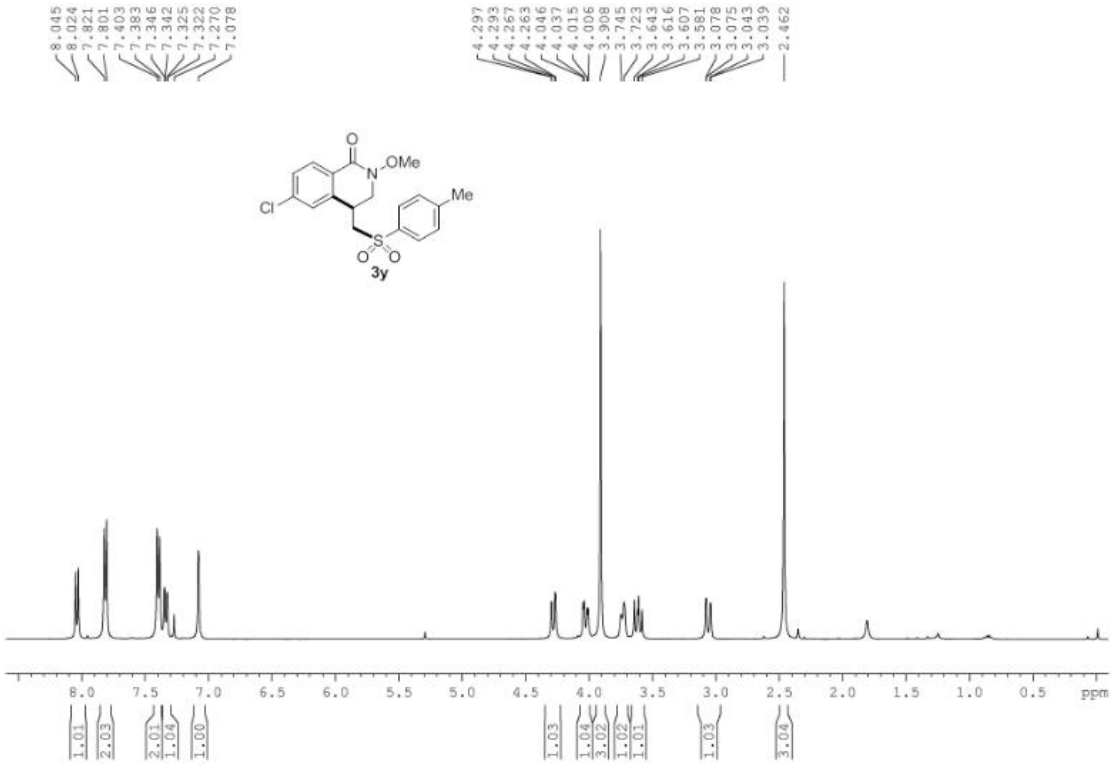
80
70
60
50
40
30
20
10
ppm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7.383
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7.342
7.325
7.322
7.270
7.078
4.297
4.293
4.267
4.263
4.046
4.037
4.015
4.006
3.908
3.745
3.723
3.643
3.616
3.607
3.581
3.078
3.075
3.043
3.039
2.462
OMe
Me
Cl
3y
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 ppm
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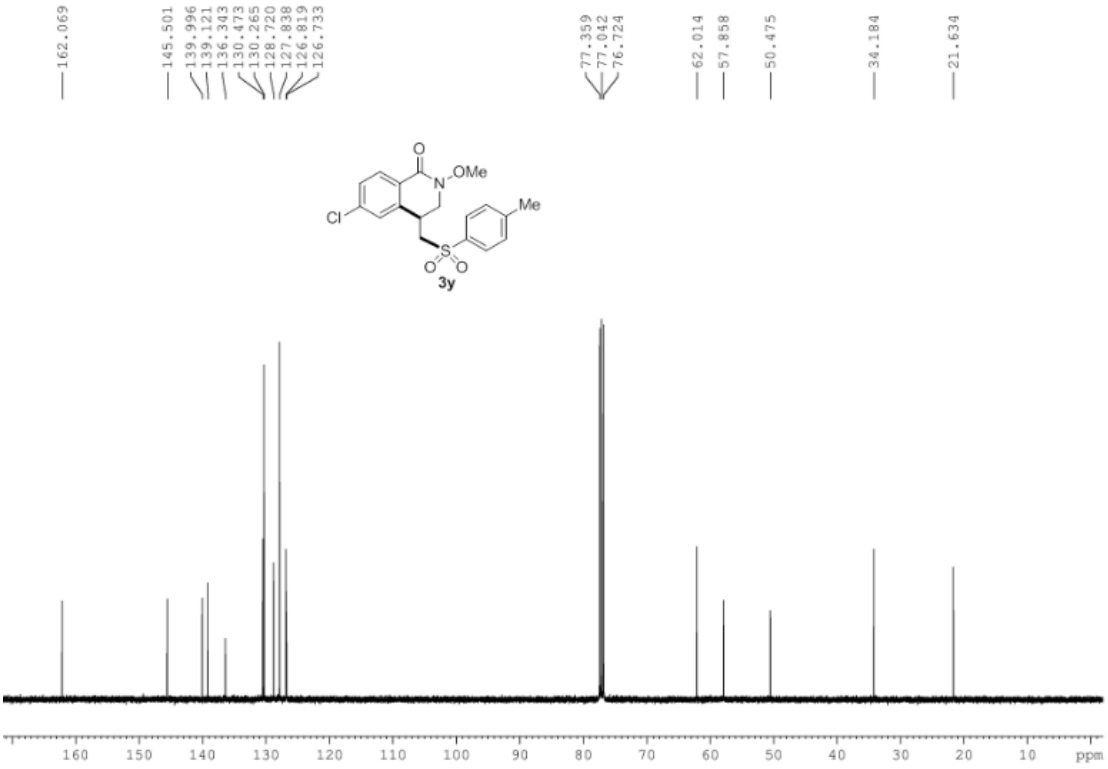
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128.720
127.838
126.819
126.733
77.359
77.042
76.724
62.014
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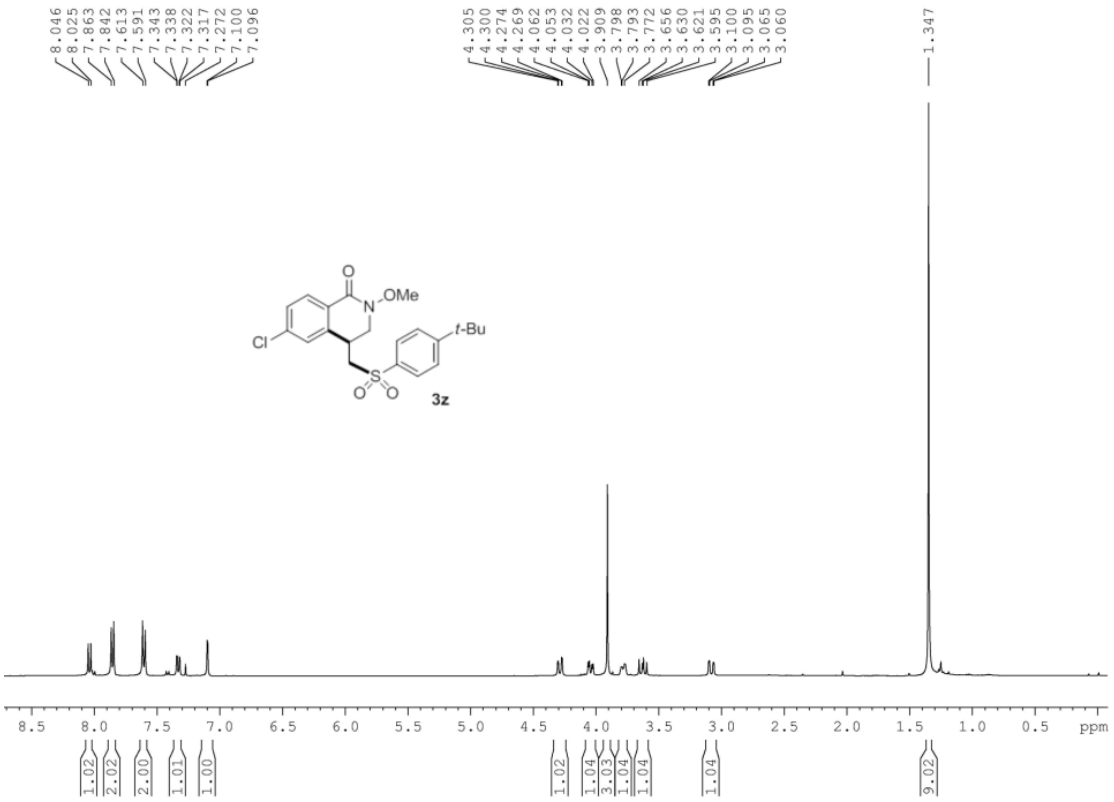
50.475
34.184
21.634
OMe
Me
Cl
3y
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 ppm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7.100
7.096
4.305
4.300
4.274
4.269
4.062
4.053
4.032
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3.909
3.798
3.793
3.772
3.656
3.630
3.621
3.595
3.100
3.095
3.065
3.060
1.347
O
N
OMe
Cl
t-Bu
S
O
O
3z
8.5
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
ppm
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1.01
1.00
1.02
1.04
3.03
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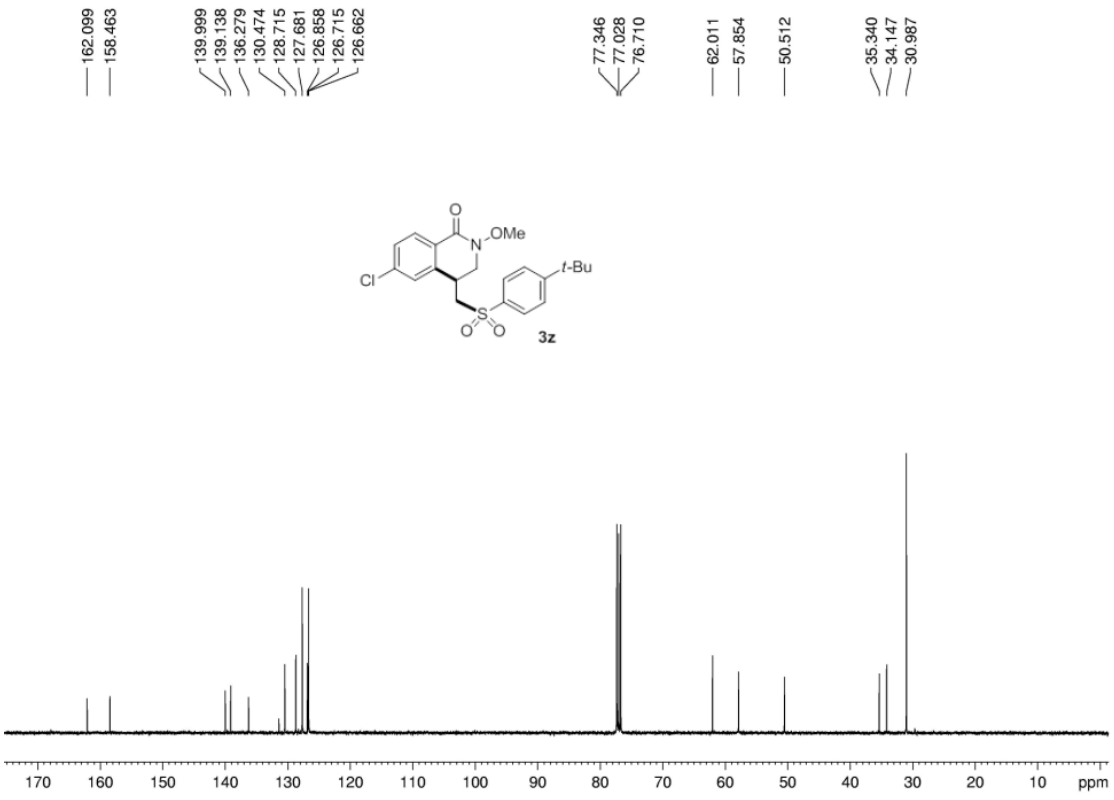
1.04
1.04
9.02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127.681
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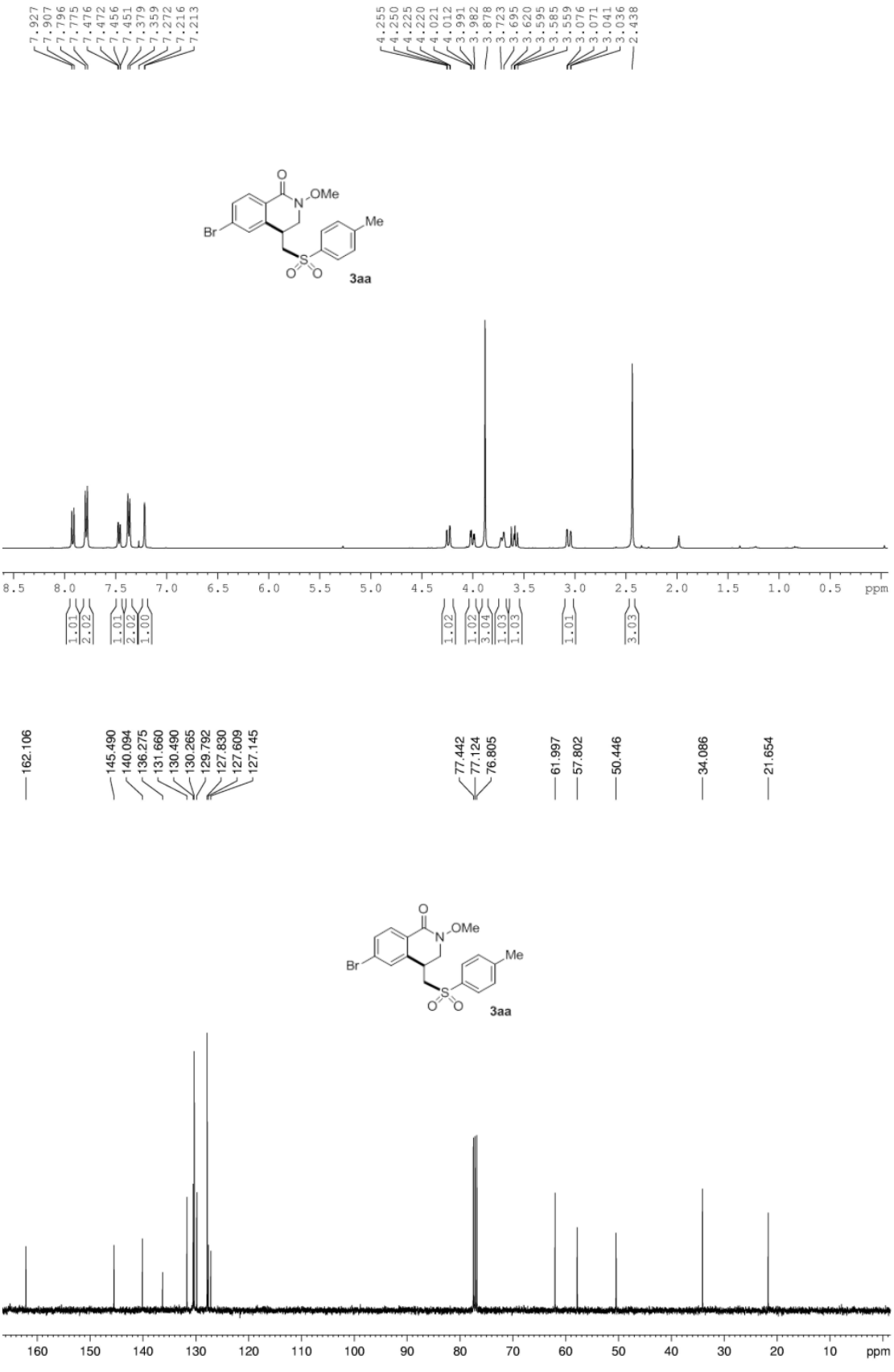
126.715
126.662
77.346
77.028
76.710
62.011
57.854
50.512
35.340
34.147
30.987
O
N
OMe
Cl
t-Bu
S
O
O
3z
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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7.213
4.255
4.250
4.225
4.220
4.021
4.012
3.991
3.982
3.878
3.723
3.695
3.620
3.595
3.585
3.559
3.076
3.071
3.041
3.036
2.438
OMe
N
O
Br
Me
S
O
O
3aa
8.5
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
ppm
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2.02
1.01
2.02
1.00
1.02
1.02
3.04
1.03
1.03
1.01
3.03
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140.094
136.275
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130.490
130.265
129.792
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127.145
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77.124
76.805
61.997
57.802
50.446
34.086
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OMe
N
O
Br
Me
S
O
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10
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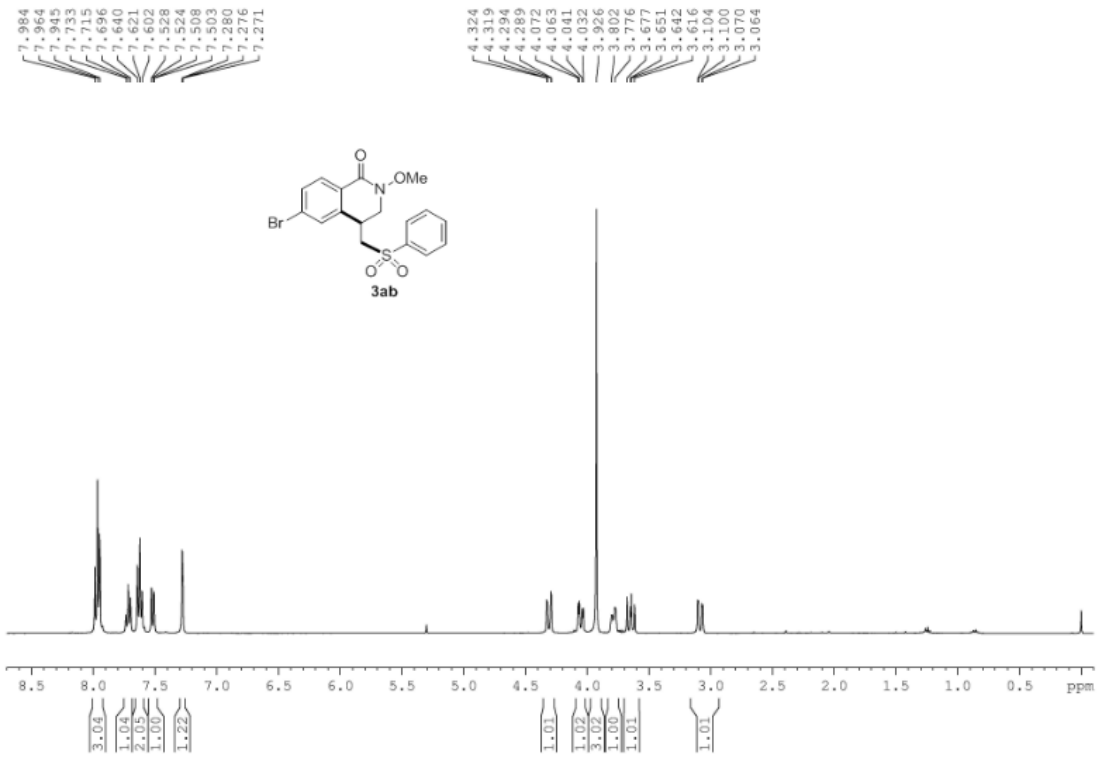
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7.503
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4.032
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3.677
3.651
3.642
3.616
3.104
3.100
3.070
3.064
OMe
N
O
Br
S
O
O
3ab
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8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
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1.0
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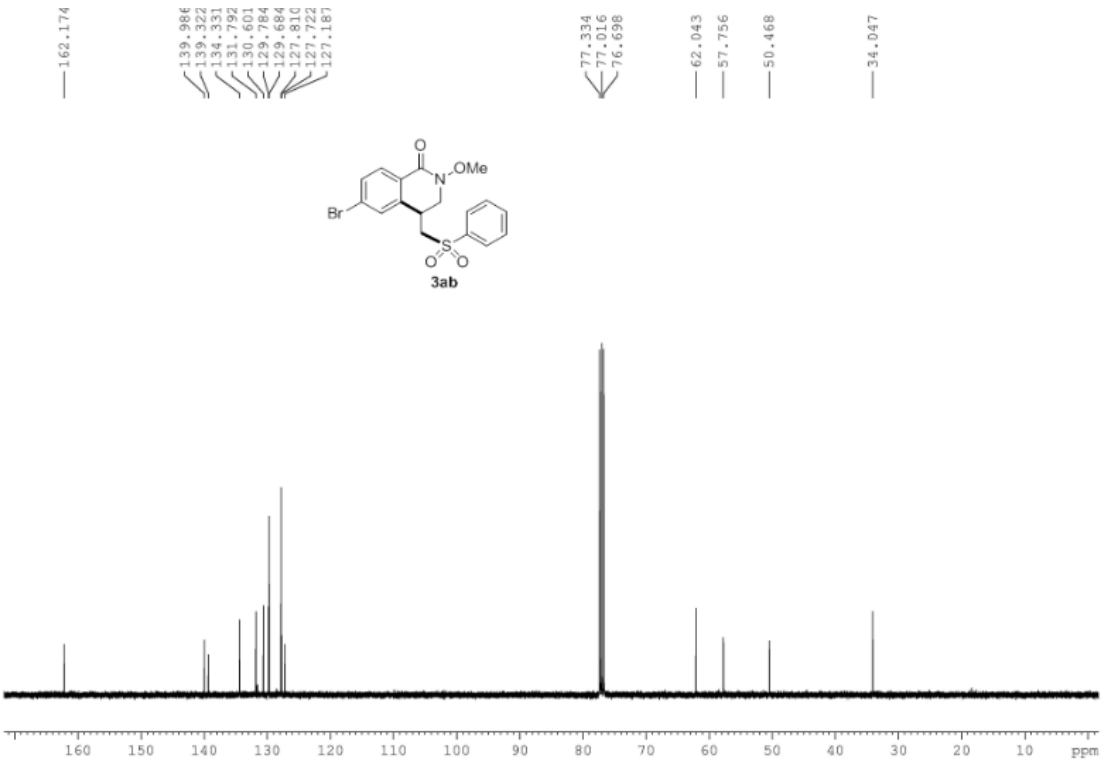
1.01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77.016
76.698
62.043
57.756
50.468
34.047
OMe
N
O
Br
S
O
O
3ab
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140
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120
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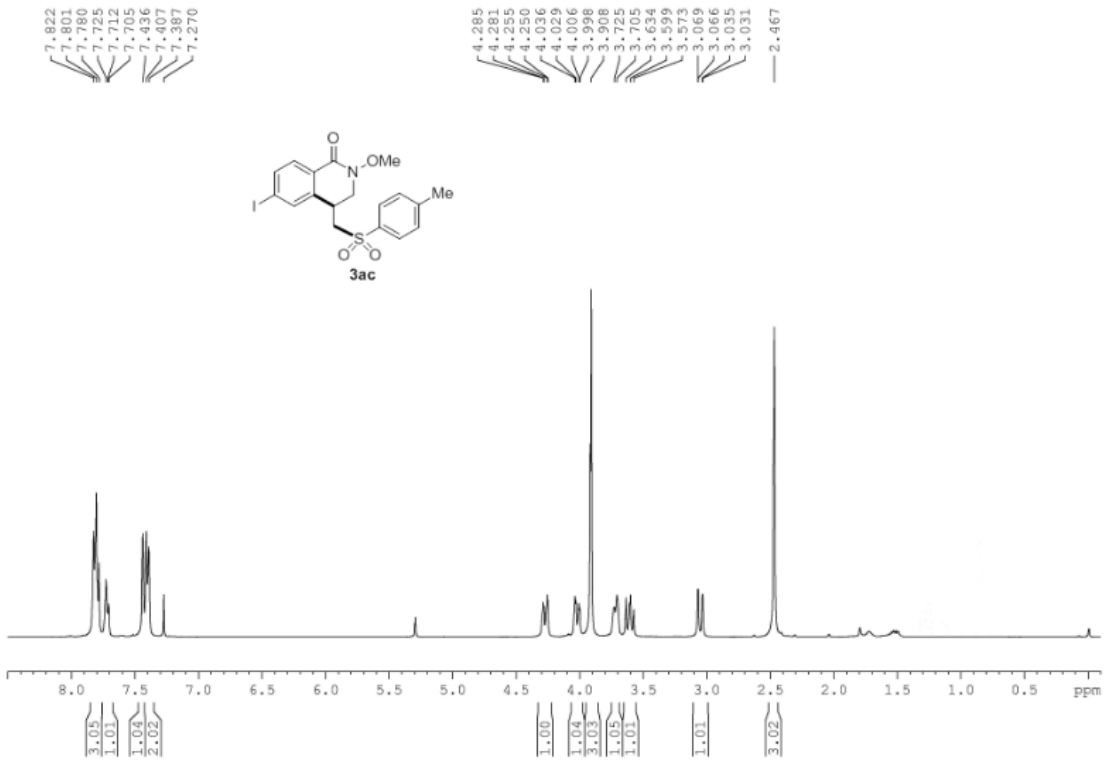
80
70
60
50
40
30
20
10
ppm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4.255
4.250
4.036
4.029
4.006
3.998
3.908
3.725
3.705
3.634
3.599
3.573
3.069
3.066
3.035
3.031
2.467
OMe
Me
I
O
N
S
3ac
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
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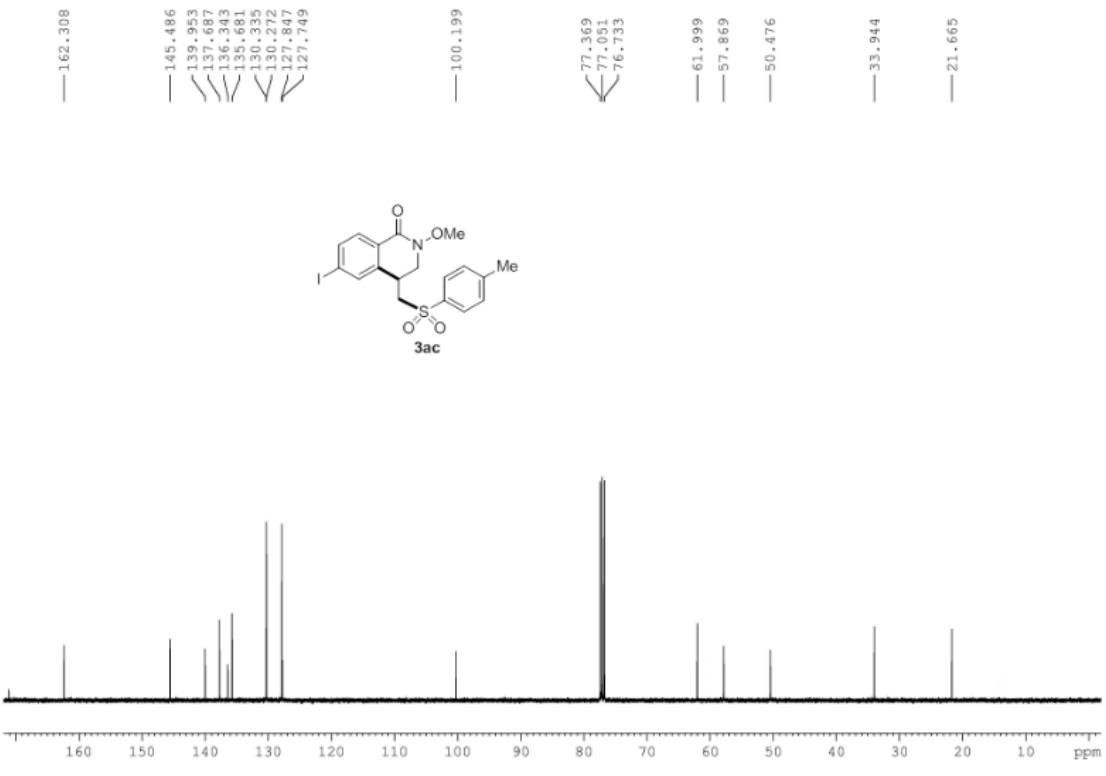
1.01
1.04
2.02
1.00
1.04
3.03
1.05
1.01
1.01
3.02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130.272
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127.749
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77.369
77.051
76.733
61.999
57.869
50.476
33.944
21.665
OMe
Me
I
O
N
S
3ac
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150
140
130
120
110
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70
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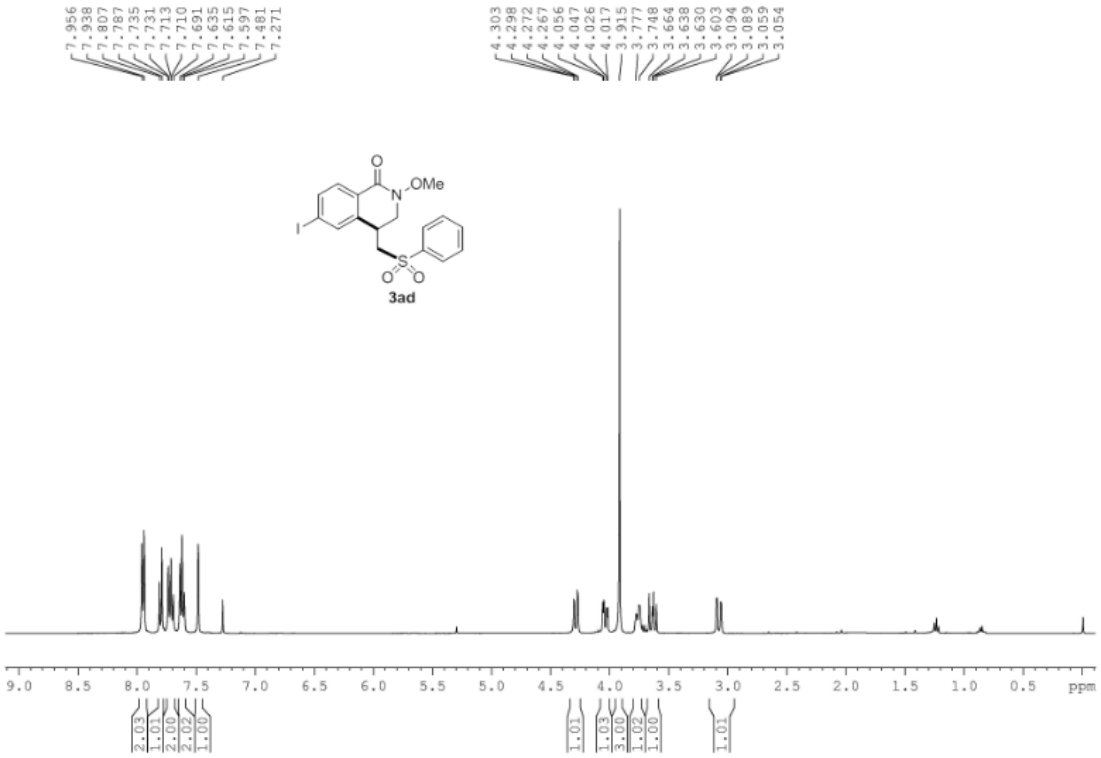
40
30
20
10
ppm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7.787
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7.713
7.710
7.691
7.635
7.615
7.597
7.481
7.271
4.303
4.298
4.272
4.267
4.056
4.047
4.026
4.017
3.915
3.777
3.748
3.664
3.638
3.630
3.603
3.094
3.089
3.059
3.054
OMe
3ad
9.0 8.5 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 ppm
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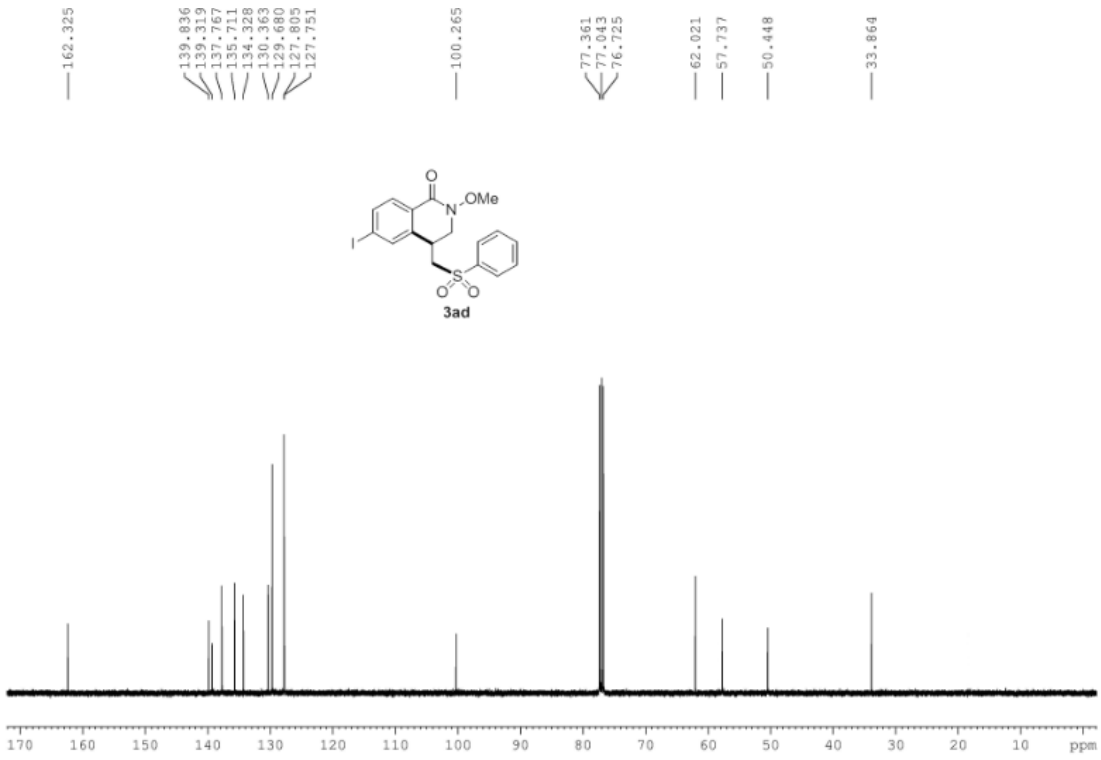
1.01
2.00
2.02
1.00
1.01
1.03
3.00
1.02
1.00
1.01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62.021
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50.448
33.864
OMe
3ad
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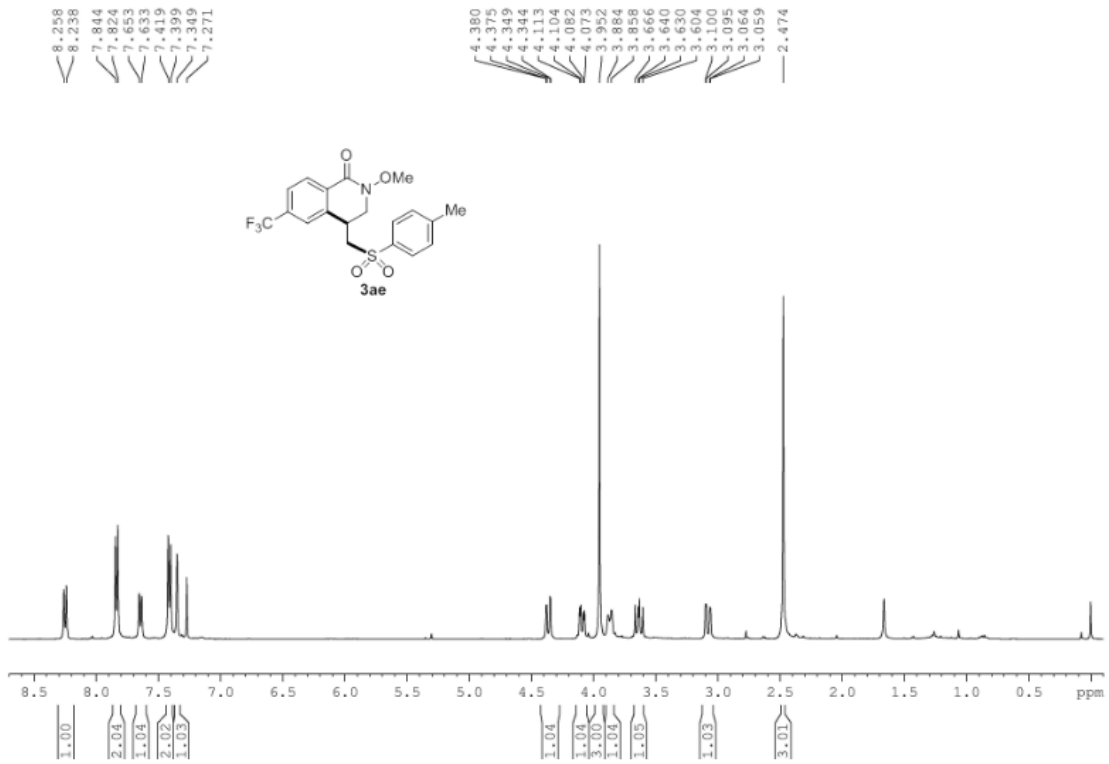
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7.399
7.349
7.271
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4.375
4.349
4.344
4.113
4.104
4.082
4.073
3.952
3.884
3.858
3.666
3.640
3.630
3.604
3.100
3.095
3.064
3.059
2.474
OMe
N
O
Me
F3C
S
O
O
3ae
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
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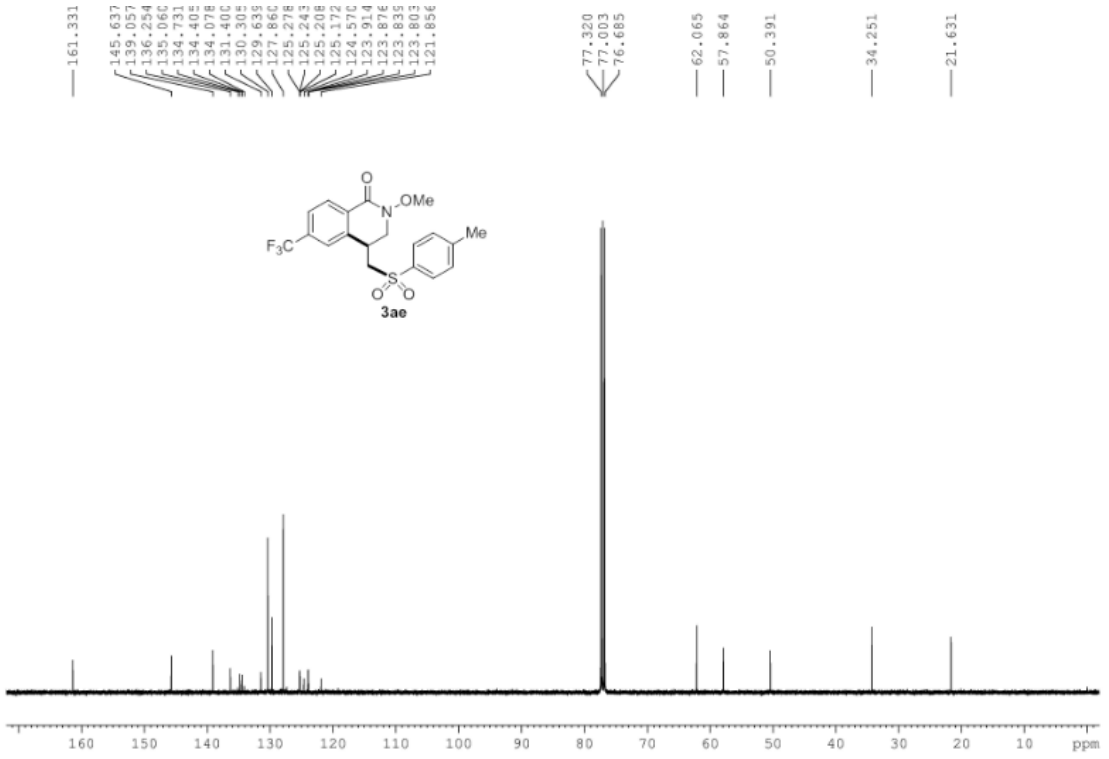
1.04
2.02
1.03
1.04
1.04
3.00
1.04
1.05
1.03
3.01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125.208
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124.570
123.914
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123.835
123.803
121.856
77.320
77.003
76.685
62.065
57.864
50.391
34.251
21.631
OMe
N
O
Me
F3C
S
O
O
3ae
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140
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120
110
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90
80
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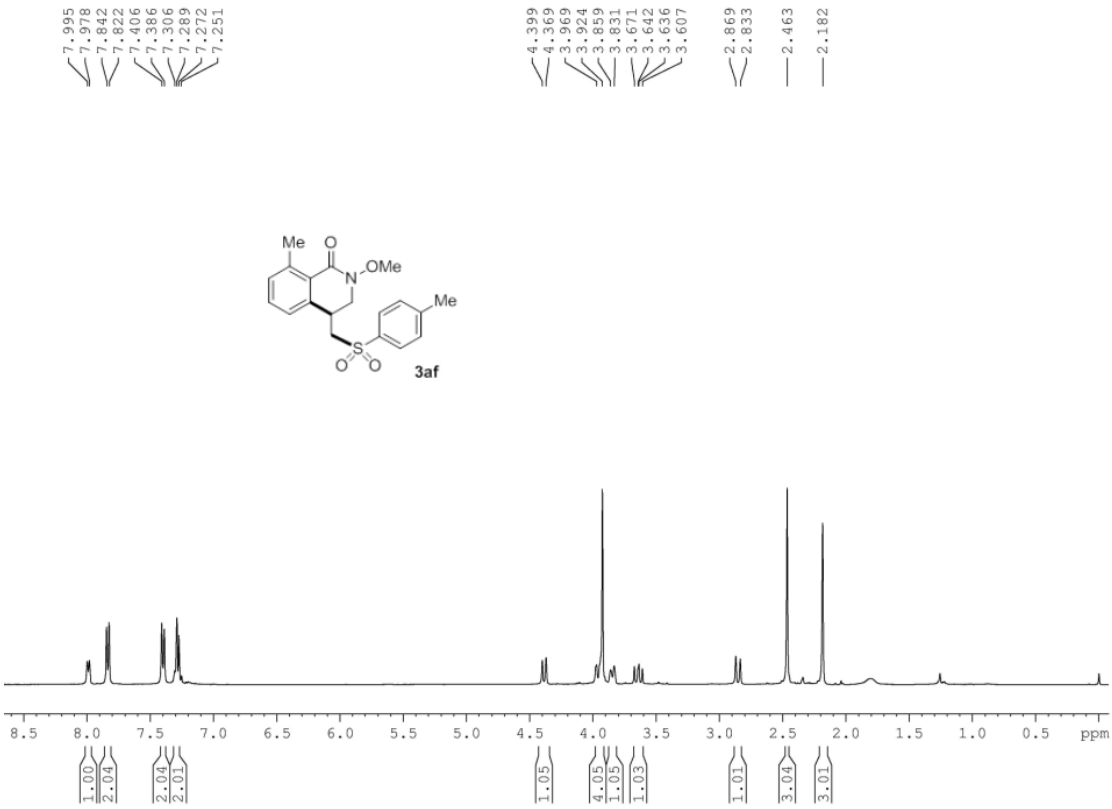
60
50
40
30
20
10
ppm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7.289
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3.924
3.859
3.831
3.671
3.642
3.636
3.607
2.869
2.833
2.463
2.182
Me
O
N
OMe
Me
S
O
O
3af
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8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
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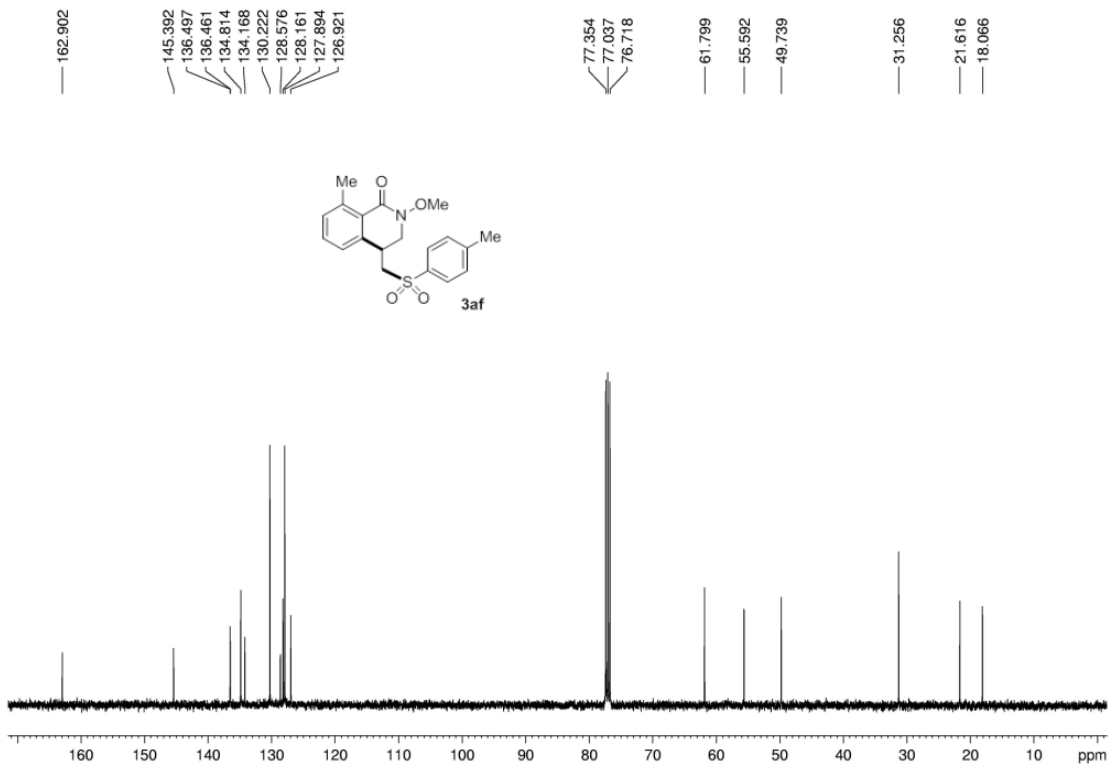
2.04
2.01
1.05
4.05
1.05
1.03
1.01
3.04
3.01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127.894
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77.354
77.037
76.718
61.799
55.592
49.739
31.256
21.616
18.066
Me
O
N
OMe
Me
S
O
O
3af
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150
140
130
120
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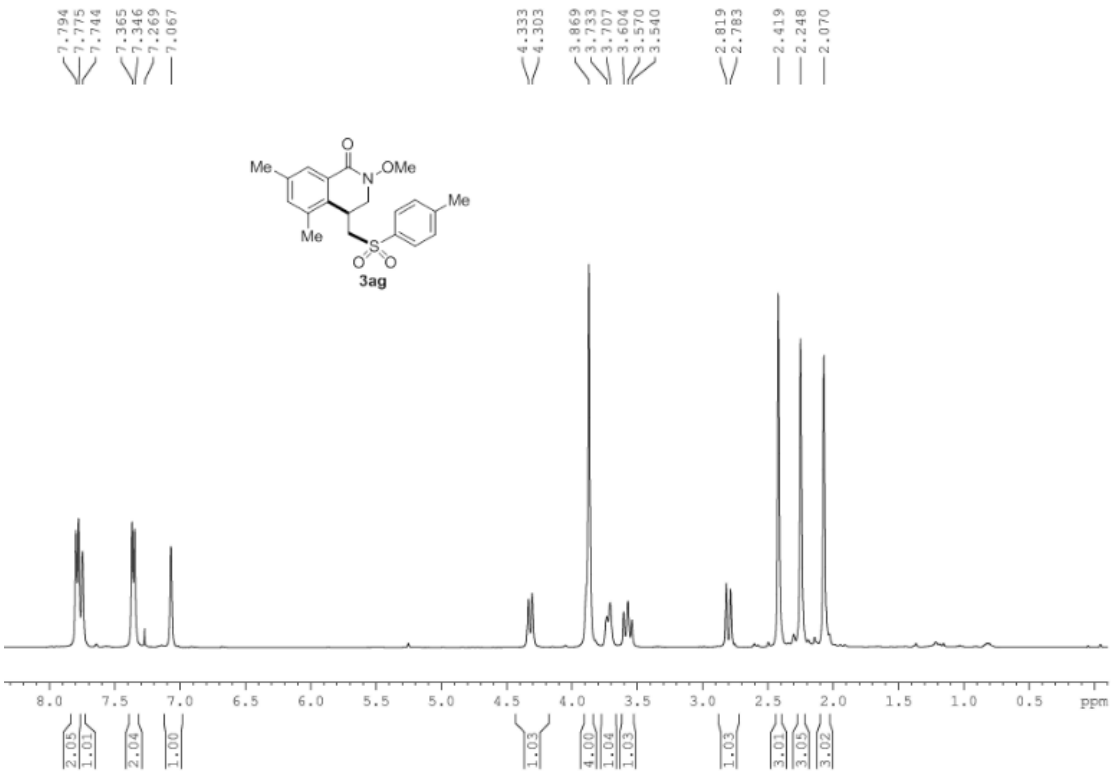
80
70
60
50
40
30
20
10
ppm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4.303
3.869
3.733
3.707
3.604
3.570
3.540
2.819
2.783
2.419
2.248
2.070
Me
OMe
Me
Me
3ag
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
ppm
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2.04
1.00
1.03
4.00
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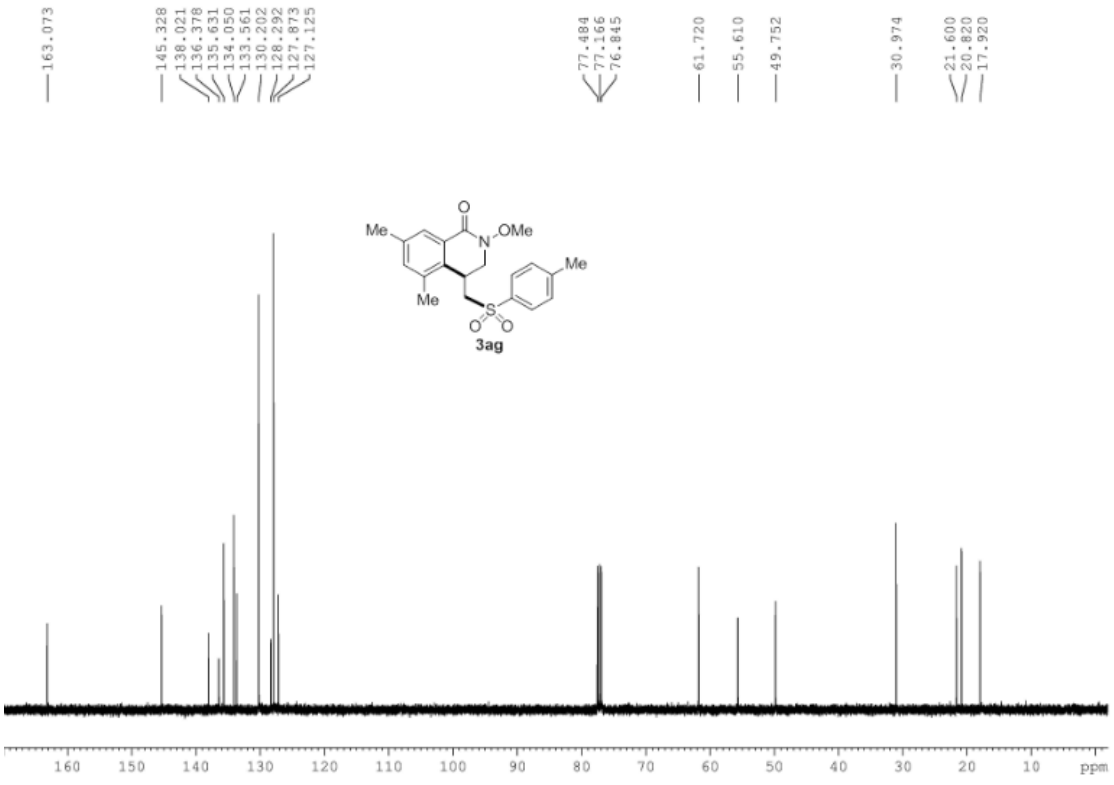
1.03
1.03
3.01
3.05
3.02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77.166
76.845
61.720
55.610
49.752
30.974
21.600
20.820
17.920
Me
OMe
Me
Me
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70
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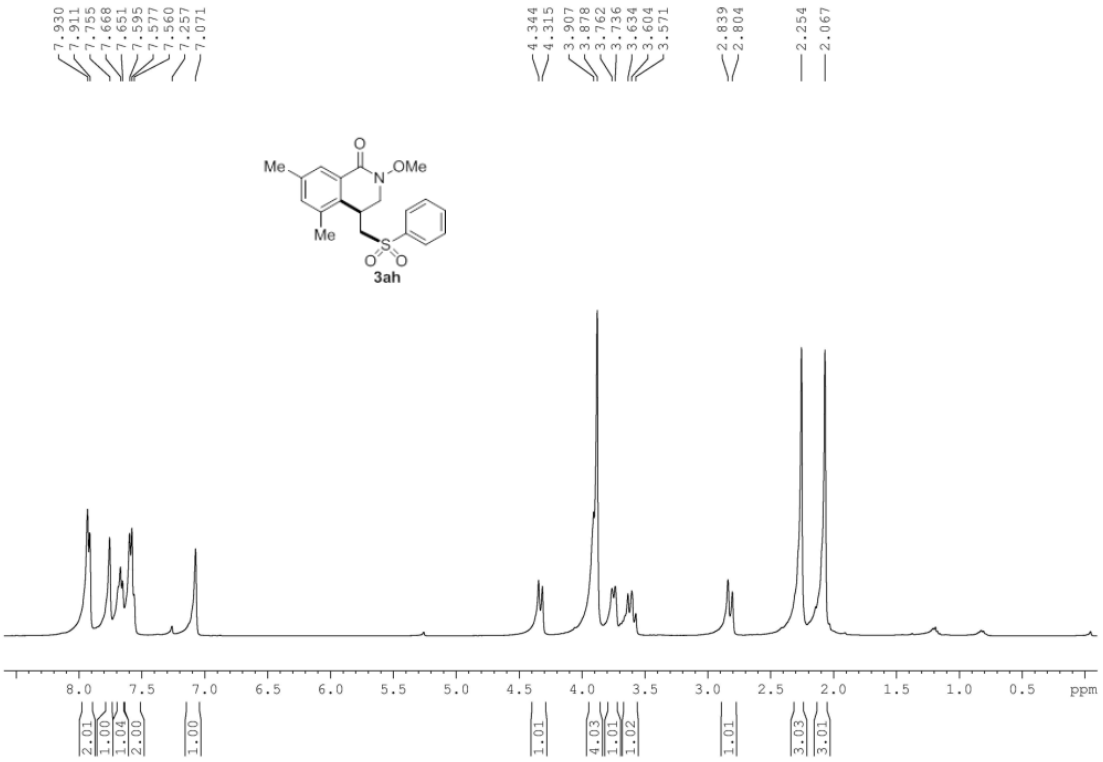
30
20
10
ppm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7.071
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4.315
3.907
3.878
3.762
3.736
3.634
3.604
3.571
2.839
2.804
2.254
2.067
Me
N
OMe
Me
S
O
O
3ah
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
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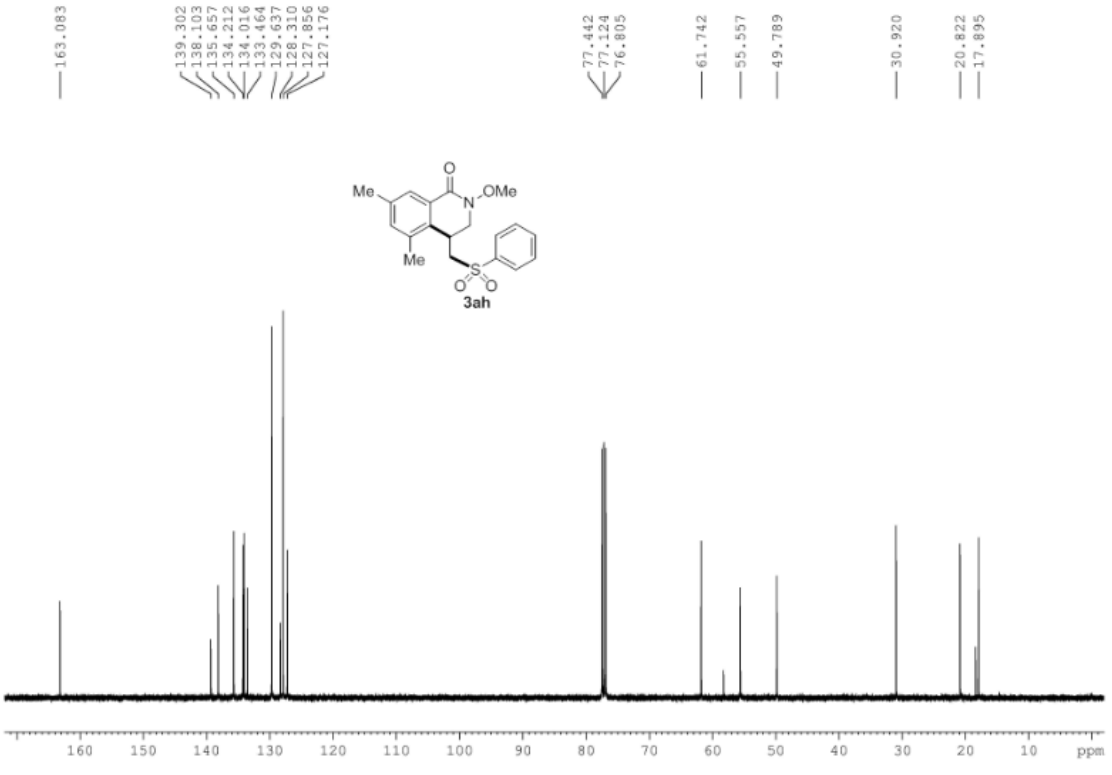
2.00
1.00
1.01
4.03
1.01
1.02
1.01
3.03
3.01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77.124
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61.742
55.557
49.789
30.920
20.822
17.895
Me
N
OMe
Me
S
O
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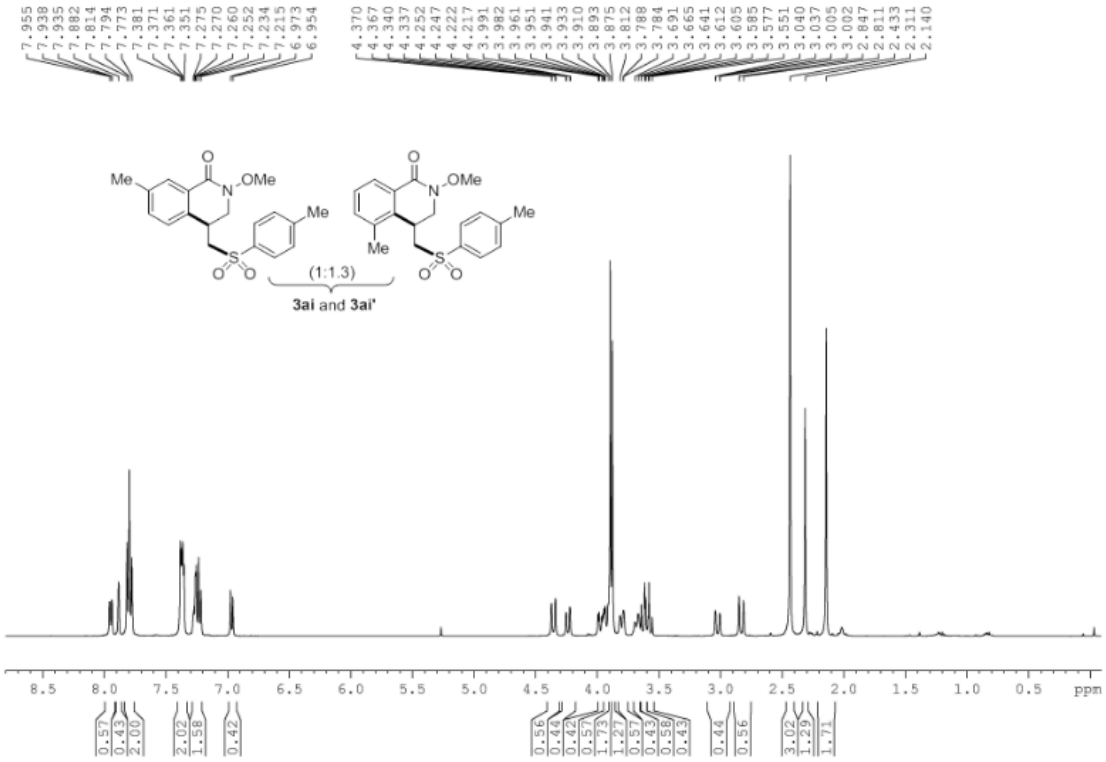
ppm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7.260
7.252
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4.247
4.222
4.217
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3.961
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3.037
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3.002
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2.811
2.433
2.311
2.140
Me
N
OMe
Me
Me
S
O
O
(1:1.3)
3ai and 3ai'
8.5
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7.5
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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
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2.02
1.58
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0.56
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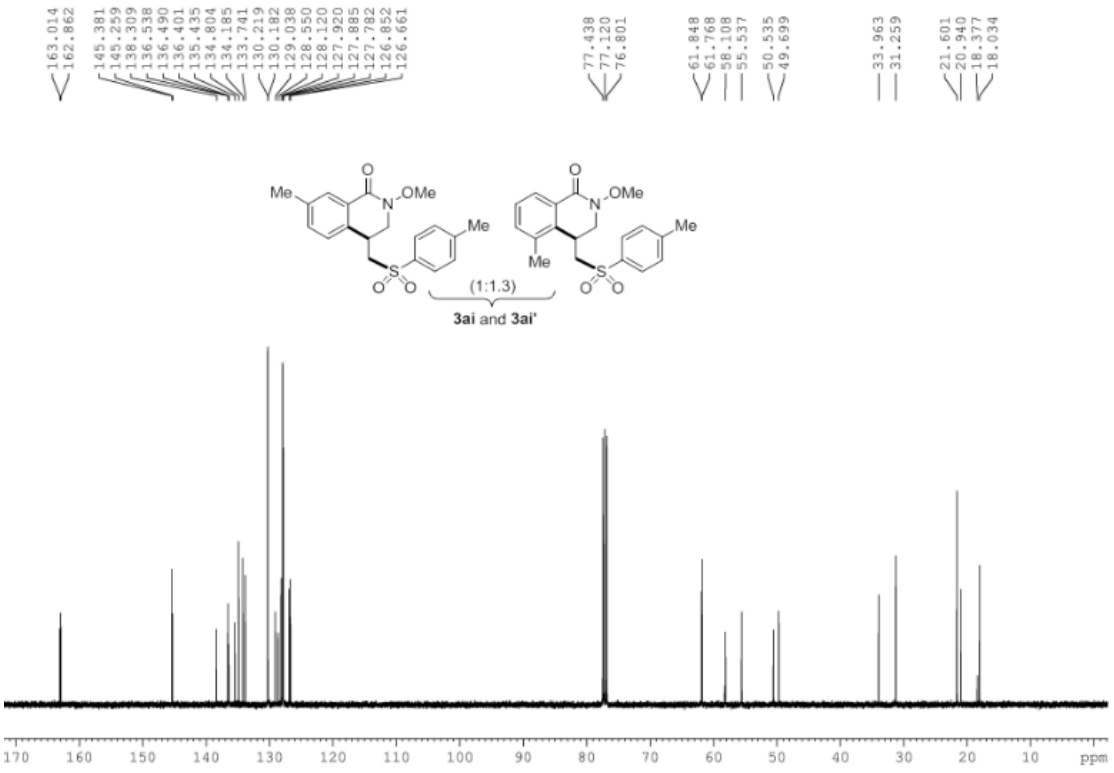
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127.920
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76.801
61.848
61.768
58.108
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50.535
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33.963
31.259
21.601
20.940
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18.034
Me
N
OMe
Me
Me
S
O
O
(1:1.3)
3ai and 3ai'
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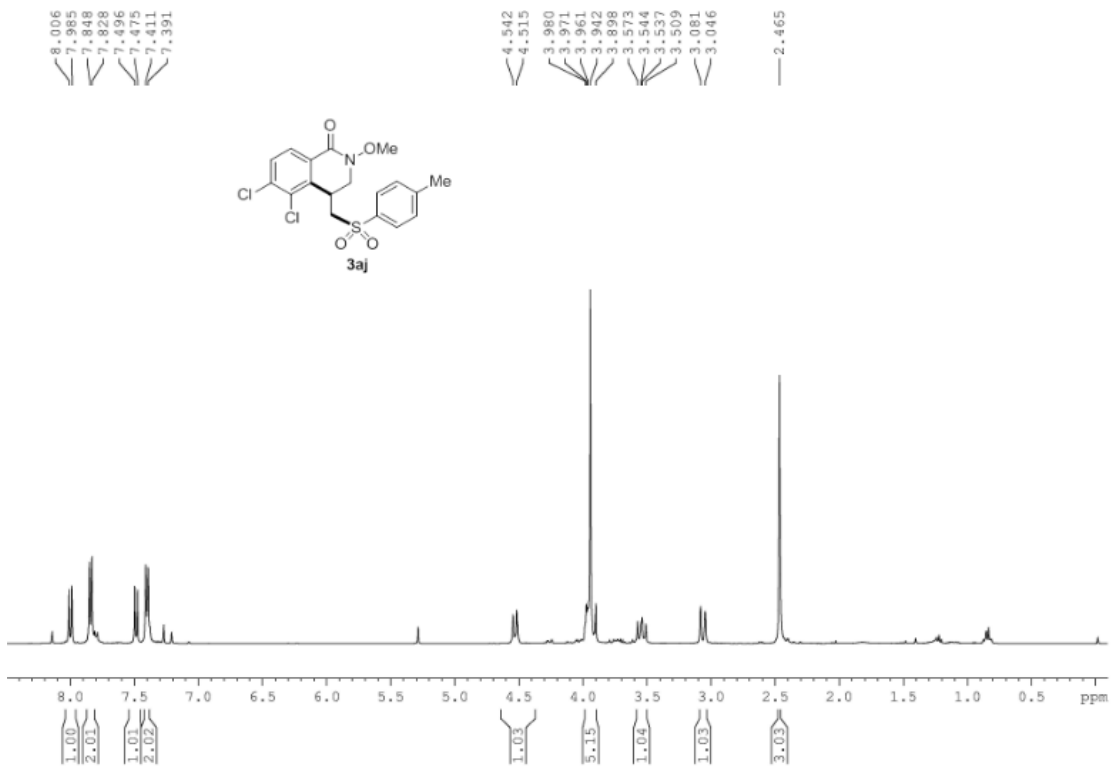
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm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3.942
3.898
3.573
3.544
3.537
3.509
3.081
3.046
2.465
O
N
OMe
Cl
Cl
Me
S
O
O
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6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
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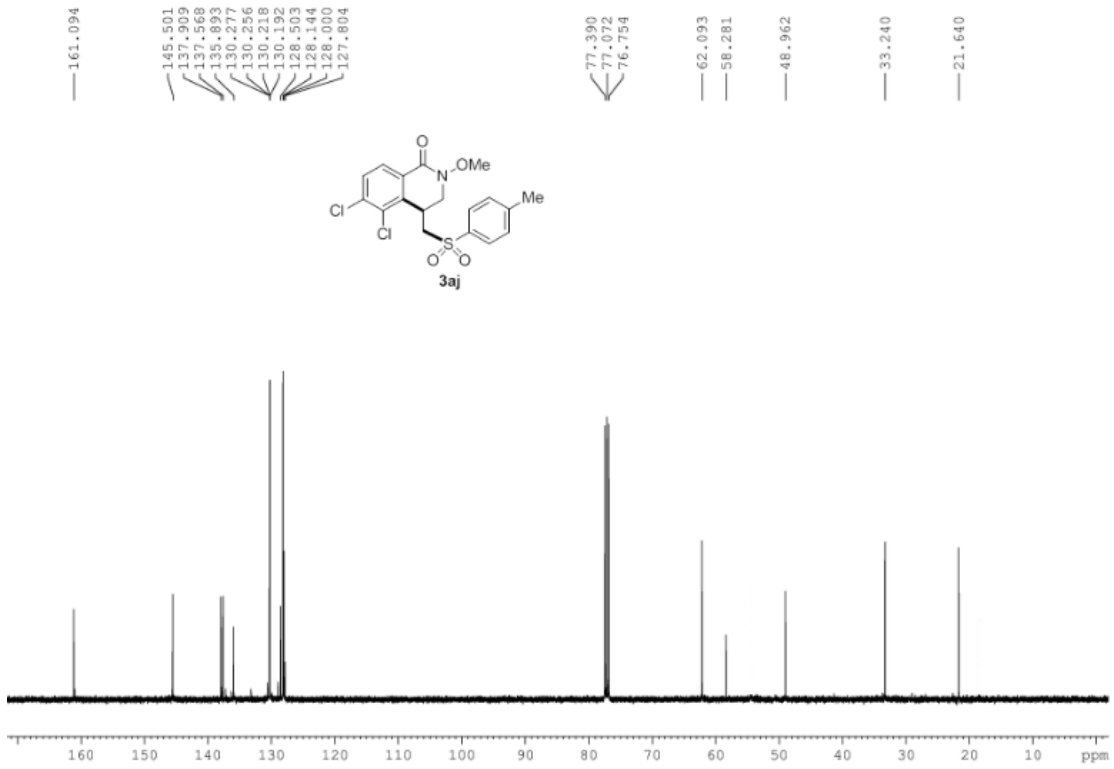
1.01
2.02
1.03
5.15
1.04
1.03
3.03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137.568
135.893
130.277
130.256
130.218
130.192
128.503
128.144
128.000
127.804
77.390
77.072
76.754
62.093
58.281
48.962
33.240
21.640
O
N
OMe
Cl
Cl
Me
S
O
O
3aj
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110
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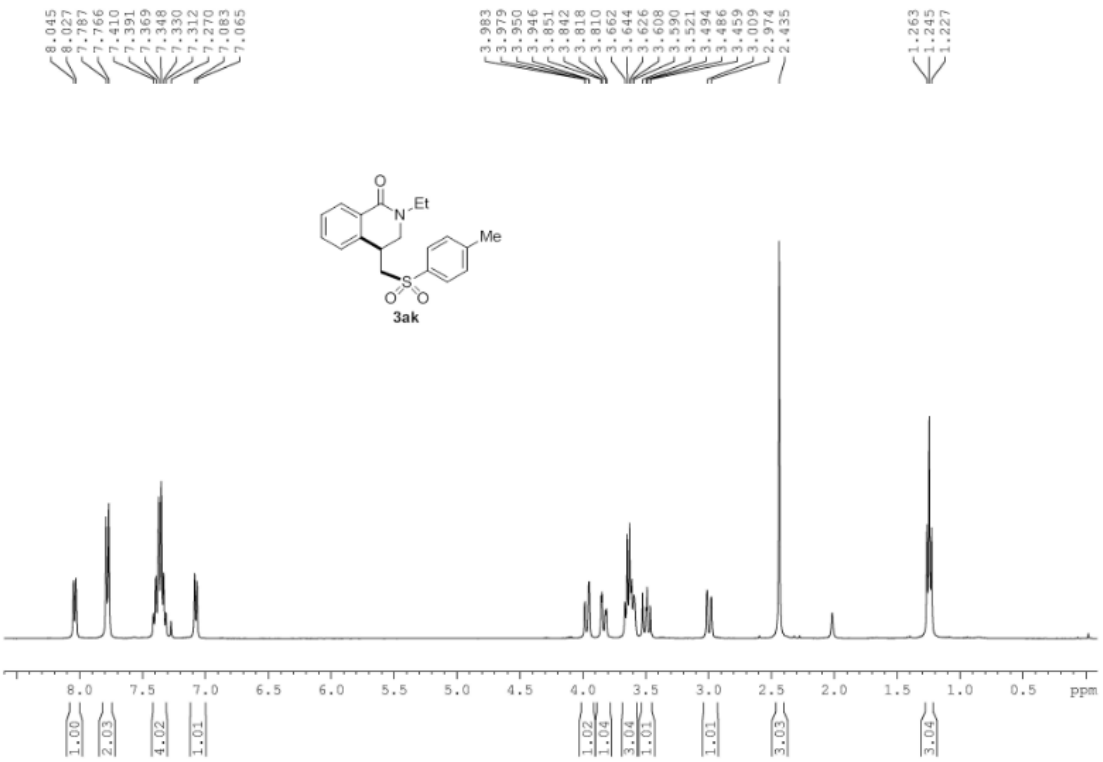
30
20
10
ppm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7.065
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3.946
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3.818
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3.626
3.608
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3.486
3.459
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1.245
1.227
Et
N
O
Me
S
O
O
3ak
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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
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1.02
1.04
3.04
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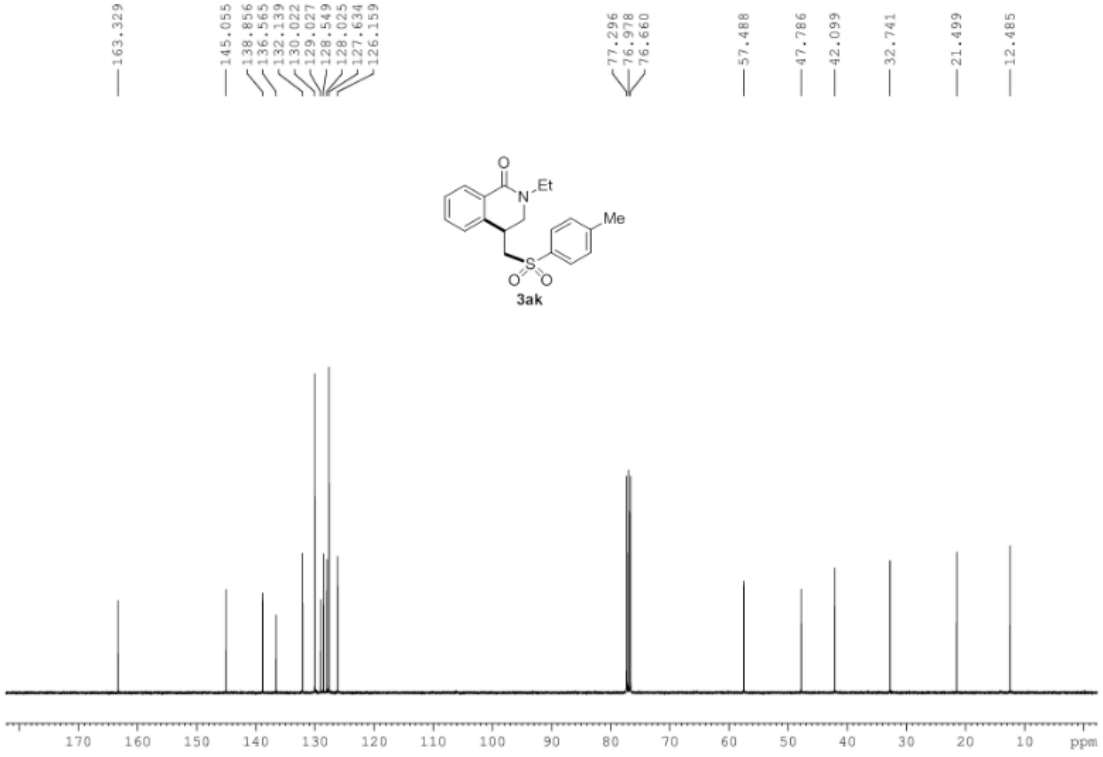
1.01
3.03
3.04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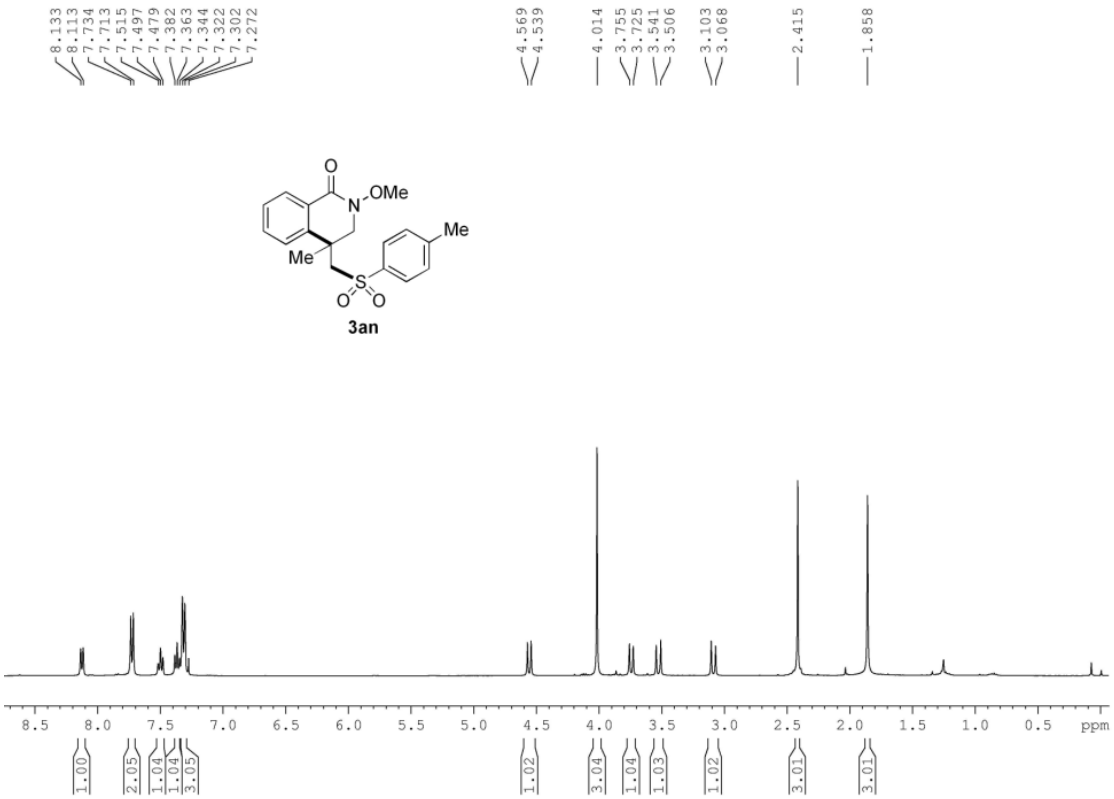
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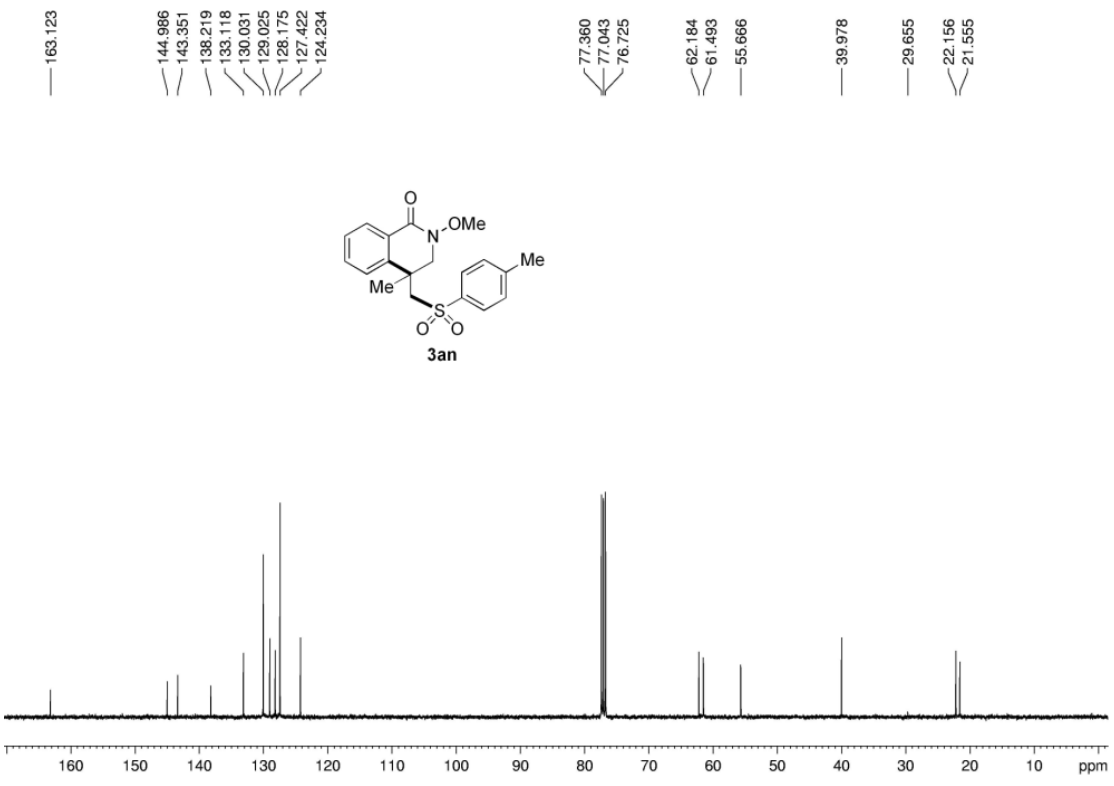
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