Supporting Information

Copper-catalyzed bis-arylations of alkenes leading to oxindole derivatives

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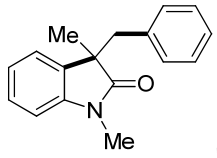
General experimental procedures

All reactions were carried out under nitrogen atmosphere. Proton and carbon magnetic resonance spectra (^{1}H NMR and ^{13}C NMR) were recorded using tetramethylsilane (TMS) in the solvent of $CDCl_3$ as the internal standard (^{1}H NMR: TMS at 0.00 ppm, $CDCl_3$ at 7.26 ppm; ^{13}C NMR: $CDCl_3$ at 77.26 ppm).

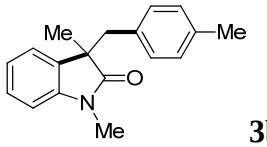
General procedure for synthesis of oxindole derivatives (3a-w). A 25 mL Schlenk tube was charged with a magnetic stirrer and dichloroethane (2.5 mL). *N*-Alkyl-*N*-phenylacrylamide (**1**) (0.25 mmol), diaryliodonium triflate (**2**) (0.75 mmol) and CuI (0.025 mol, 5.0 mg) were added, the tube was sealed under nitrogen atmosphere, and the mixture was stirred at 100 ^{o}C for 24-48 h (TCL determination till completion). The resulting mixture was cooled to room temperature, the solvent was removed by a rotary evaporator, and the residue was purified by column chromatography on silica gel using petroleum ether/ ethyl acetate as the eluent to give the desired target product (**3**).

Characterization data of compounds 3a-w



3a

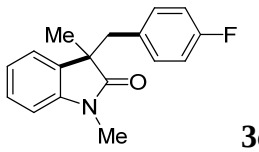
3-Benzyl-1,3-dimethylindolin-2-one (3a).[1] Eluent: petroleum ether/ ethyl acetate (5:1). Yield 45 mg (71%). White solid, mp 88-89 ^{o}C (Lit.[1] 86-88 ^{o}C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.19 – 7.11 (m, 2H), 7.05 – 7.00 (m, 4H), 6.85 – 6.83 (m, 2H), 6.59 (d, 1H, J = 7.8 Hz), 3.06 (q, 2H, J = 31.6 Hz), 2.97 (s, 3H), 1.47 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.1, 143.2, 136.3, 133.1, 129.9, 127.9, 127.6, 126.5, 123.4, 122.2, 107.9, 50.0, 44.6, 25.9, 22.8. ESI-MS: $[M+H]^+$ m/z 252.4, $[M+Na]^+$ m/z 274.2.



3b

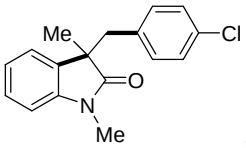
1,3-Dimethyl-3-(4-methylbenzyl)indolin-2-one (3b).[1] Eluent: petroleum ether/ ethyl acetate (5:1). Yield 57 mg (86%). White solid, mp 111-112 ^{o}C (Lit.[1] 116-118 ^{o}C). ^{1}H NMR ($CDCl_3$, 300 MHz) δ 7.19 – 7.09 (m, 2H), 7.01 (t, 1H, J = 7.4 Hz), 6.85 (d, 2H,

J = 7.9 Hz), 6.73 (d, 2H, *J* = 7.9 Hz), 6.61 (d, 1H, *J* = 7.9 Hz), 3.08 – 2.94 (m, 5H), 2.21 (s, 3H), 1.44 (s, 3H). ^{13}C NMR ($CDCl_3$, 75 MHz) δ 180.3, 143.3, 136.0, 133.4, 133.3, 129.9, 128.4, 127.9, 123.5, 122.2, 107.9, 50.0, 44.2, 26.1, 22.9, 21.2. ESI-MS: ESI-MS: $[M+H]^+$ m/z 266.3, $[M+Na]^+$ m/z 288.2.



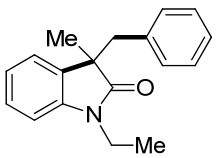
3c

3-(4-Fluorobenzyl)-1,3-dimethylindolin-2-one (3c).[1] Eluent: petroleum ether/ ethyl acetate (5:1). Yield 46 mg (68%). White solid, mp 131-132 °C (Lit.[1] 126-127 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.21 – 7.15 (m, 2H), 7.05 (t, 1H, *J* = 7.3 Hz), 6.80 – 6.69 (m, 4H), 6.62 (d, 1H, *J* = 7.8 Hz), 3.02 (q, 2H, *J* = 59.9 Hz), 2.98 (s, 3H), 1.46 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.9, 161.9 (d, *J* = 244.4 Hz), 143.4, 132.9, 132.1, 131.4 (d, *J* = 7.7 Hz), 128.1, 123.3, 122.4, 114.5 (d, *J* = 21.1 Hz), 108.9, 50.2, 43.9, 26.1, 22.9. ESI-MS: $[M+H]^+$ m/z 270.2, $[M+Na]^+$ m/z 292.3.



3d

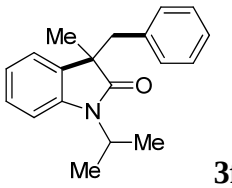
3-(4-Chlorobenzyl)-1,3-dimethylindolin-2-one (3d). Eluent: petroleum ether/ ethyl acetate (5:1). Yield 44 mg (62%). White solid, mp 124-125 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.50 – 7.44 (m, 1H), 7.19 – 7.14 (m, 2H), 7.06 – 6.99 (m, 3H), 6.76 (d, 2H, *J* = 8.2 Hz), 3.12 – 2.93 (m, 5H), 1.46 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.0, 143.1, 137.0, 135.4, 134.4, 132.8, 132.1, 131.2, 129.1, 128.0, 110.3, 50.2, 44.0, 26.2, 23.0. ESI-MS: $[M+H]^+$ m/z 286.4, $[M+Na]^+$ m/z 308.3.



3e

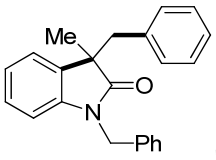
3-Benzyl-1-ethyl-3-methylindolin-2-one (3e).[1] Eluent: hexane/ethyl acetate (5:1). Yield 52 mg (79%). White solid, mp 79-80 °C (Lit.[1] 76-78 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.22 – 7.15 (m, 2H), 7.05 – 6.99 (m, 4H), 6.81 (dd, 2H, *J* = 7.6 Hz, *J* = 1.6 Hz), 6.61 (d, 1H, *J* = 7.8 Hz), 3.73 – 3.64 (m, 1H), 3.40 – 3.31 (m, 1H), 3.07 (q, 2H, *J* = 41.2 Hz), 1.47 (s, 3H), 0.85 (t, 3H, *J* = 7.3 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ

179.6, 142.5, 136.3, 133.5, 130.0, 127.9, 127.7, 126.6, 123.5, 122.1, 108.1, 50.0, 44.9, 34.4, 23.1, 12.3. ESI-MS: $[M+H]^+$ m/z 266.4, $[M+Na]^+$ m/z 288.3.



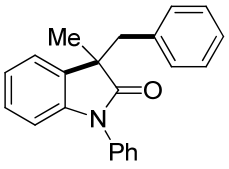
3f

3-Benzyl-1-*iso*-propyl-3-methylindolin-2-one (3f).[1] Eluent: hexane/ethyl acetate (5:1). Yield 50 mg (72%). White solid, mp 126-127 °C (Lit.[1] 119-121 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.24 – 7.21 (m, 1H), 7.14 (td, 1H, *J* = 7.8 Hz, *J* = 1.4 Hz), 7.05 – 6.97 (m, 4H), 6.79 – 6.75 (m, 3H), 4.43 (m, 1H), 3.06 (q, 2H, *J* = 53.6 Hz), 1.47 (s, 3H), 1.26 (d, 3H, *J* = 7.3 Hz), 1.01 (d, 3H, *J* = 7.3 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.5, 142.0, 136.3, 133.8, 129.9, 127.7, 126.5, 123.5, 121.7, 109.8, 49.8, 45.2, 43.3, 23.2, 19.4, 18.9. ESI-MS: $[M+H]^+$ m/z 280.3, $[M+Na]^+$ m/z 302.1.



3g

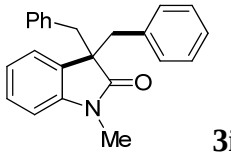
1,3-Dibenzyl-3-methylindolin-2-one (3g).[1] Eluent: hexane/ethyl acetate (5:1). Yield 65 mg (79%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.28 – 7.25 (m, 2H), 7.14 – 7.09 (m, 4H), 7.06 – 7.01 (m, 4H), 6.88 (d, 2H, *J* = 7.3 Hz), 6.64 (d, 2H, *J* = 6.9 Hz), 6.41 – 6.39 (m, 1H), 4.99 (d, 1H, *J* = 16.0 Hz), 4.46 (d, 1H, *J* = 16.0 Hz), 3.18 (q, 2H, *J* = 34.3 Hz), 1.54 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.0, 142.5, 136.5, 135.5, 133.2, 130.2, 128.7, 128.1, 127.9, 127.3, 126.8, 126.7, 123.4, 122.4, 109.4, 50.4, 44.4, 43.6, 24.3. ESI-MS: $[M+H]^+$ m/z 328.3, $[M+Na]^+$ m/z 350.2.



3h

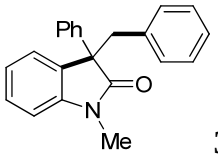
3-Benzyl-3-methyl-1-phenylindolin-2-one (3h). Eluent: hexane/ethyl acetate (5:1). Yield 67 mg (86%). White solid, mp 98-99 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.40 – 7.27 (m, 4H), 7.11 – 7.01 (m, 5H), 6.93 (d, 2H, *J* = 7.2 Hz), 6.85 (d, 2H, *J* = 5.4 Hz), 6.49 – 6.46 (m, 1H), 3.17 (q, 2H, *J* = 44.3 Hz), 1.61 (s, 3H). ^{13}C NMR ($CDCl_3$, 100

MHz) δ 179.3, 143.5, 136.2, 134.5, 132.9, 130.0, 129.6, 128.1, 127.9, 127.7, 126.8, 126.7, 123.5, 122.7, 109.2, 50.4, 45.6, 23.1. ESI-MS: $[M+H]^+$ m/z 314.4, $[M+Na]^+$ m/z 336.2.



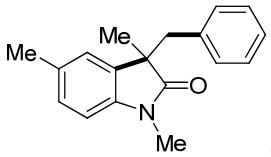
3i

3,3-Dibenzyl-1-methylindolin-2-one (3i). Eluent: hexane/ethyl acetate (10:1). Yield 64 mg (78%). White solid, mp 125-127 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 7.17 (dd, 1H, *J* = 7.4 Hz, *J* = 1.2 Hz), 7.07 – 6.99 (m, 8H), 6.90 – 6.87 (m, 4H), 6.36 (d, 1H, *J* = 7.2 Hz), 3.23 (q, 4H, *J* = 36.1 Hz), 2.76 (s, 3H). ^{13}C NMR ($CDCl_3$, 75 MHz) δ 178.7, 143.9, 136.2, 130.4, 130.2, 128.0, 127.7, 126.6, 124.5, 121.9, 107.8, 56.4, 43.6, 25.9. ESI-MS: $[M+H]^+$ m/z 328.3, $[M+Na]^+$ m/z 350.2.



3j

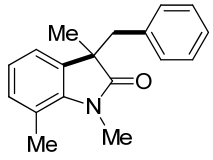
3-Benzyl-1-methyl-3-phenylindolin-2-one (3j). Eluent: hexane/ethyl acetate (10:1). Yield 38 mg (49%). White solid, mp 121-122 °C. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 7.51 – 7.48 (m, 2H), 7.35 – 7.17 (m, 5H), 7.08 – 6.97 (m, 4H), 6.83 (dd, 2H, *J* = 7.5 Hz, *J* = 1.9 Hz), 6.59 (d, 1H, *J* = 8.9 Hz), 3.58 (q, 2H, *J* = 65.7 Hz), 2.93 (s, 3H). ^{13}C NMR ($CDCl_3$, 75 MHz) δ 177.9, 143.9, 139.9, 135.9, 131.5, 130.2, 128.8, 128.4, 127.7, 127.4, 126.7, 125.7, 122.4, 108.2, 58.5, 44.2, 26.2. ESI-MS: $[M+H]^+$ m/z 314.3, $[M+Na]^+$ m/z 336.2.



3k

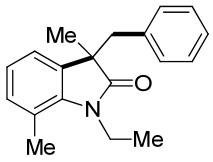
3-Benzyl-1,3,5-trimethylindolin-2-one (3k).[1] Eluent: hexane/ethyl acetate (5:1). Yield 52 mg (78%). White solid, mp 70-71 °C (Lit.[1] 72-74 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.09 – 7.02 (m, 3H), 6.99 – 6.93 (m, 2H), 6.86 – 6.83 (m, 2H), 6.49 (d, 1H, *J* = 7.9 Hz), 3.03 (q, 2H, *J* = 19.9 Hz), 2.95 (s, 3H), 2.33 (s, 3H), 1.45 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.1, 140.9, 136.4, 133.2, 131.7, 130.0, 128.1, 127.6, 126.6, 124.3, 107.6, 50.1, 44.7, 26.1, 22.9, 21.4. ESI-MS: $[M+H]^+$ m/z 266.3, $[M+Na]^+$ m/z

288.2.



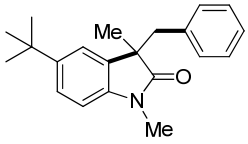
3l

3-Benzyl-1,3,7-trimethylindolin-2-one (3l).[1] Eluent: hexane/ethyl acetate (5:1). Yield 47 mg (71%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.01 – 7.04 (m, 3H), 6.97 – 6.89 (m, 3H), 6.83 (dd, 2H, *J* = 7.3 Hz, *J* = 1.3 Hz), 3.25 (s, 3H), 3.03 (q, 2H, *J* = 36.2 Hz), 2.39 (s, 3H), 1.44 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.9, 141.2, 136.4, 133.8, 131.6, 130.0, 127.6, 126.6, 122.2, 121.4, 119.6, 49.5, 45.1, 29.4, 23.3, 19.1. ESI-MS: $[M+H]^+$ m/z 266.4, $[M+Na]^+$ m/z 288.3.



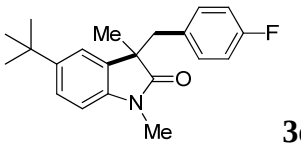
3m

3-Benzyl-1-ethyl-3,7-dimethylindolin-2-one (3m). Eluent: hexane/ethyl acetate (5:1). Yield 41 mg (59%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.06 – 6.99 (m, 4H), 6.96 – 6.90 (m, 2H), 6.80 (dd, 2H, *J* = 7.3 Hz, *J* = 1.8 Hz), 3.90 – 3.85 (m, 1H), 3.66 – 3.61 (m, 1H), 3.06 (q, 2H, *J* = 41.7 Hz), 2.35 (s, 3H), 1.45 (s, 3H), 0.83 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.6, 140.5, 136.5, 134.3, 131.8, 130.1, 127.7, 126.6, 122.1, 121.4, 119.1, 49.3, 45.1, 36.2, 23.7, 18.9, 14.5. ESI-MS: $[M+H]^+$ m/z 280.4, $[M+Na]^+$ m/z 202.2.



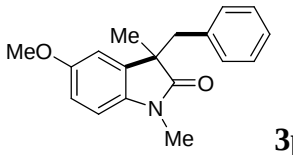
3n

3-Benzyl-5-(*tert*-butyl)-1,3-dimethylindolin-2-one (3n).[1] Eluent: hexane/ethyl acetate (10:1). Yield 70 mg (91%). White solid, mp 96-97 °C (Lit.[1] 96-98 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.19 (dd, 1H, *J* = 8.2 Hz, *J* = 1.8 Hz), 7.09 – 7.02 (m, 4H), 6.87 – 6.85 (m, 2H), 6.56 (d, 1H, *J* = 8.2 Hz), 3.02 – 3.00 (m, 5H), 1.46 (s, 3H), 1.30 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.5, 145.3, 140.8, 136.5, 132.7, 130.3, 127.6, 126.6, 124.2, 121.2, 107.2, 50.6, 44.6, 34.7, 31.8, 26.1, 22.6. ESI-MS: $[M+H]^+$ m/z 308.2, $[M+Na]^+$ m/z 330.2.



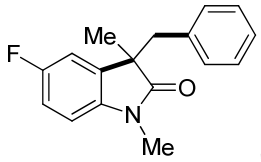
3o

5-(*tert*-Butyl)-3-(4-fluorobenzyl)-1,3-dimethylindolin-2-one (3o). Eluent: hexane/ethyl acetate (10:1). Yield 58 mg (71%). White solid, mp 119-120 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.19 (dd, 1H, *J* = 8.2 Hz, *J* = 1.8 Hz), 7.09 (d, 1H, *J* = 1.8 Hz), 6.81 – 6.71 (m, 4H), 6.56 (d, 1H, *J* = 8.2 Hz), 3.06 – 2.95 (m, 5H), 1.46 (s, 3H), 1.32 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.2, 161.9 (d, *J* = 244.4 Hz), 145.5, 140.9, 132.6, 132.2, 131.5 (d, *J* = 8.6 Hz), 124.4, 120.9, 114.5 (d, *J* = 21.2 Hz), 107.4, 50.2, 43.9, 34.7, 31.8, 26.2, 22.7. ESI-MS: $[M+H]^+$ m/z 326.4, $[M+Na]^+$ m/z 348.3.



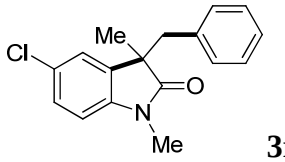
3p

3-Benzyl-5-methoxy-1,3-dimethylindolin-2-one (3p).[1] Eluent: hexane/ethyl acetate (5:1). Yield 62 mg (88%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.08 – 7.06 (m, 3H), 6.89 – 6.86 (m, 2H), 6.71 – 6.68 (m, 2H), 6.51 (dd, 1H, *J* = 8.0 Hz, *J* = 1.6 Hz), 3.76 (s, 3H), 3.04 (q, 2H, *J* = 28.4 Hz), 2.96 (s, 3H), 1.45 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.8, 155.8, 136.9, 136.3, 134.6, 130.1, 127.7, 126.6, 112.1, 111.1, 108.2, 55.9, 50.5, 44.6, 26.2, 22.9. ESI-MS: $[M+H]^+$ m/z 282.4, $[M+Na]^+$ m/z 304.2.



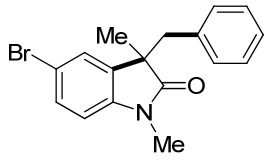
3q

3-Benzyl-5-fluoro-1,3-dimethylindolin-2-one (3q).[1] Eluent: hexane/ethyl acetate (5:1). Yield 42 mg (62%). Colorless oill. ^{1}H NMR ($CDCl_3$, 400 MHz) 7.08 – 7.04 (m, 3H), 6.89 – 6.84 (m, 4H), 6.51 (dd, 1H, , *J* = 9.3 Hz, *J* = 4.1 Hz), 3.05 (q, 2H, *J* = 34.1 Hz), 2.97 (s, 3H), 1.46 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.8, 159.3 (d, *J* = 239.7 Hz), 139.2, 135.9, 134.9 (d, *J* = 7.9 Hz), 129.9, 127.8, 126.8, 114.1 (d, *J* = 23.8 Hz), 111.6 (d, *J* = 24.6 Hz), 108.4 (d, *J* = 8.7 Hz), 50.6, 44.7, 26.2, 22.9. ESI-MS: $[M+H]^+$ m/z 270.2, $[M+Na]^+$ m/z 292.2.



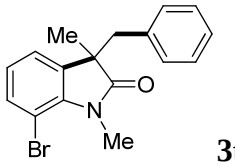
3r

3-Benzyl-5-chloro-1,3-dimethylindolin-2-one (3r).[1] Eluent: hexane/ethyl acetate (5:1). Yield 53 mg (74%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.15- 7.04 (m, 5H), 6.86 – 6.83 (m, 2H), 6.51 (d, 1H, *J* = 8.2 Hz), 3.04 (q, 2H, *J* = 45.7 Hz), 2.95 (s, 3H), 1.46 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.6, 141.9, 135.9, 134.9, 129.9, 127.9, 127.8, 127.6, 126.8, 123.9, 108.9, 50.4, 44.7, 26.2, 22.8. ESI-MS: $[M+H]^+$ m/z 286.3, $[M+Na]^+$ m/z 308.2.



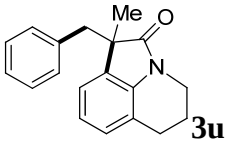
3s

3-Benzyl-5-bromo-1,3-dimethylindolin-2-one (3s).[1] Eluent: hexane/ethyl acetate (5:1). Yield 51 mg (62%). White solid, mp 91-93 °C (Lit.[1] 96-98 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) 7.29 (dd, 1H, *J* = 8.2 Hz, *J* = 1.8 Hz), 7.24 (d, 1H, *J* = 1.8 Hz), 7.08 – 7.04 (m, 3H), 6.86 – 6.83 (m, 2H), 6.47 (d, 1H, *J* = 8.2 Hz), 3.04 (q, 2H, *J* = 43.5 Hz), 2.95 (s, 3H), 1.46 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.5, 142.4, 135.9, 135.4, 130.8, 129.9, 127.9, 126.9, 126.7, 114.9, 109.4, 50.4, 44.7, 26.2, 22.8. ESI-MS: $[M+H]^+$ m/z 330.2, $[M+Na]^+$ m/z 352.1.



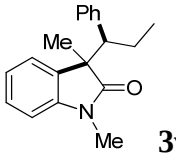
3t

3-Benzyl-7-bromo-1,3-dimethylindolin-2-one (3t). Eluent: hexane/ethyl acetate (5:1). Yield 45 mg (55%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.28 (d, 1H, *J* = 7.8 Hz), 7.13 – 7.06 (m, 3H), 7.03 (dd, 1H, *J* = 7.3 Hz, *J* = 0.9 Hz), 6.86 (t, 1H, *J* = 7.6 Hz), 6.83 (d, 1H, *J* = 0.9 Hz), 6.81 (d, 1H, *J* = 1.8 Hz), 3.35 (s, 3H), 3.04 (q, 2H, *J* = 43.1 Hz), 1.46 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.6, 140.8, 136.4, 135.9, 133.6, 129.9, 127.9, 126.9, 123.4, 122.6, 102.4, 49.9, 45.1, 29.7, 23.2. ESI-MS: $[M+H]^+$ m/z 330.1



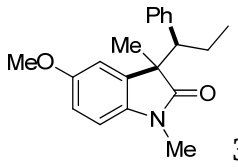
3u

1-Benzyl-1-methyl-5,6-dihydro-1H-pyrrolo[3,2,1-ij]quinolin-2(4H)-one (3u).[1] Eluent: hexane/ethyl acetate (5:1). Yield 57 mg (82%). Colorless oil. ^{1}H NMR ($CDCl_3$, 300 MHz) δ 7.45 – 7.44 (m, 1H), 7.06 – 7.01 (m, 3H), 6.96 – 6.89 (m, 2H), 6.86 – 6.74 (m, 2H), 3.56 – 3.38 (m, 2H), 3.03 – 2.79 (m, 2H) (*a pair of isomers were observed for the presence of piperidinyl, ratio = 3 : 1*), 2.61 – 2.52 (m, 2H), 1.85 – 1.79 (m, 1H), 1.58 – 1.54 (m, 1H), 1.47, 1.43 (ss, 3H) (*a pair of isomers were observed for the presence of piperidinyl, ratio = 3 : 1*). ^{13}C NMR ($CDCl_3$, 75 MHz) δ 178.9, 178.4, 140.5, 139.3, 139.1, 138.1, 136.7, 136.5, 131.7, 130.0, 129.8, 129.3, 128.1, 127.6, 127.4, 126.6, 126.5, 124.3, 121.7, 121.3, 119.9, 119.1, 52.8, 51.4, 44.8, 43.9, 38.7, 24.8, 24.7, 23.7, 22.3, 21.1, 20.9. ESI-MS: $[M+H]^+$ m/z 278.1, $[M+Na]^+$ m/z 300.3.



3v

1,3-Dimethyl-3-(1-phenylpropyl)indolin-2-one (3v). Eluent: hexane/ethyl acetate (10:1). Yield 32 mg (46%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.34 – 7.19 (m, 6H), 7.14 – 6.96 (m, 7H), 6.91 (d, 1H, *J* = 7.3 Hz), 6.75 (d, 1H, *J* = 7.8 Hz), 6.70 (d, 2H, *J* = 6.8 Hz), 6.57 (d, 1H, *J* = 7.8 Hz), 3.18 (s, 3H), 3.01 (t, 3H, *J* = 7.8 Hz), 2.92 (dd, 1H, *J* = 12.3 Hz, *J* = 2.2 Hz), 2.75 (s, 3H), 2.14 – 2.06 (m, 1H), 1.86 – 1.78 (m, 1H), 1.53 – 1.49 (m, 2H), 1.47 (s, 3H), 1.25 (s, 3H), 0.71 (t, 3H, *J* = 7.3 Hz), 0.62 (t, 3H, *J* = 7.3 Hz) (*a pair of diastereoisomers were observed for the presence of a new chiral carbon, ratio = 1 : 1*). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 181.1, 180.2, 143.9, 143.6, 139.4, 139.1, 132.9, 132.6, 130.3, 128.9, 128.7, 128.1, 127.9, 127.8, 127.5, 127.0, 126.7, 124.7, 124.1, 122.0, 107.9, 107.8, 55.2, 54.7, 52.9, 52.3, 26.3, 25.7, 22.8, 22.1, 21.9, 21.1, 12.8, 12.5. ESI-MS: $[M+H]^+$ m/z 280.2.



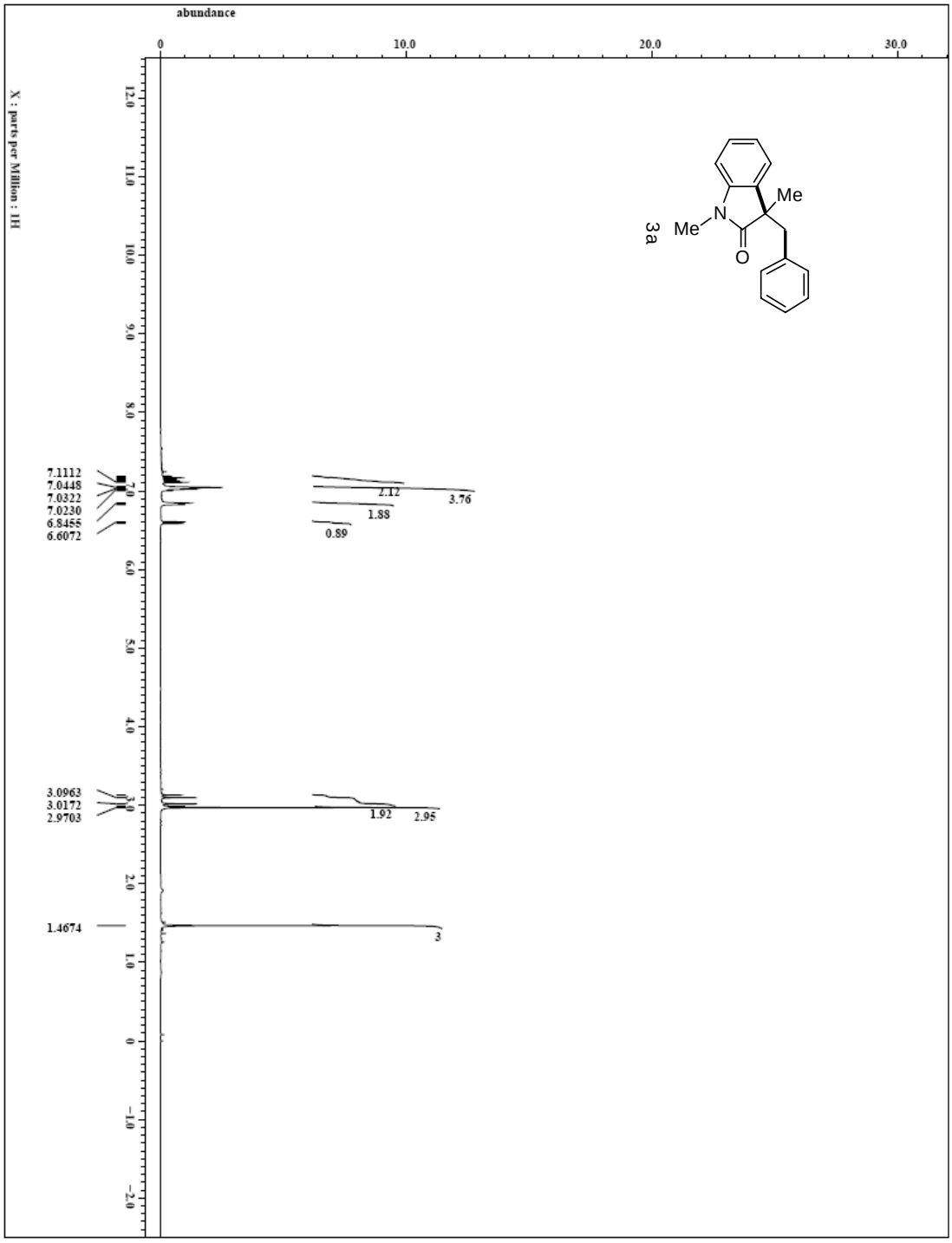
3w

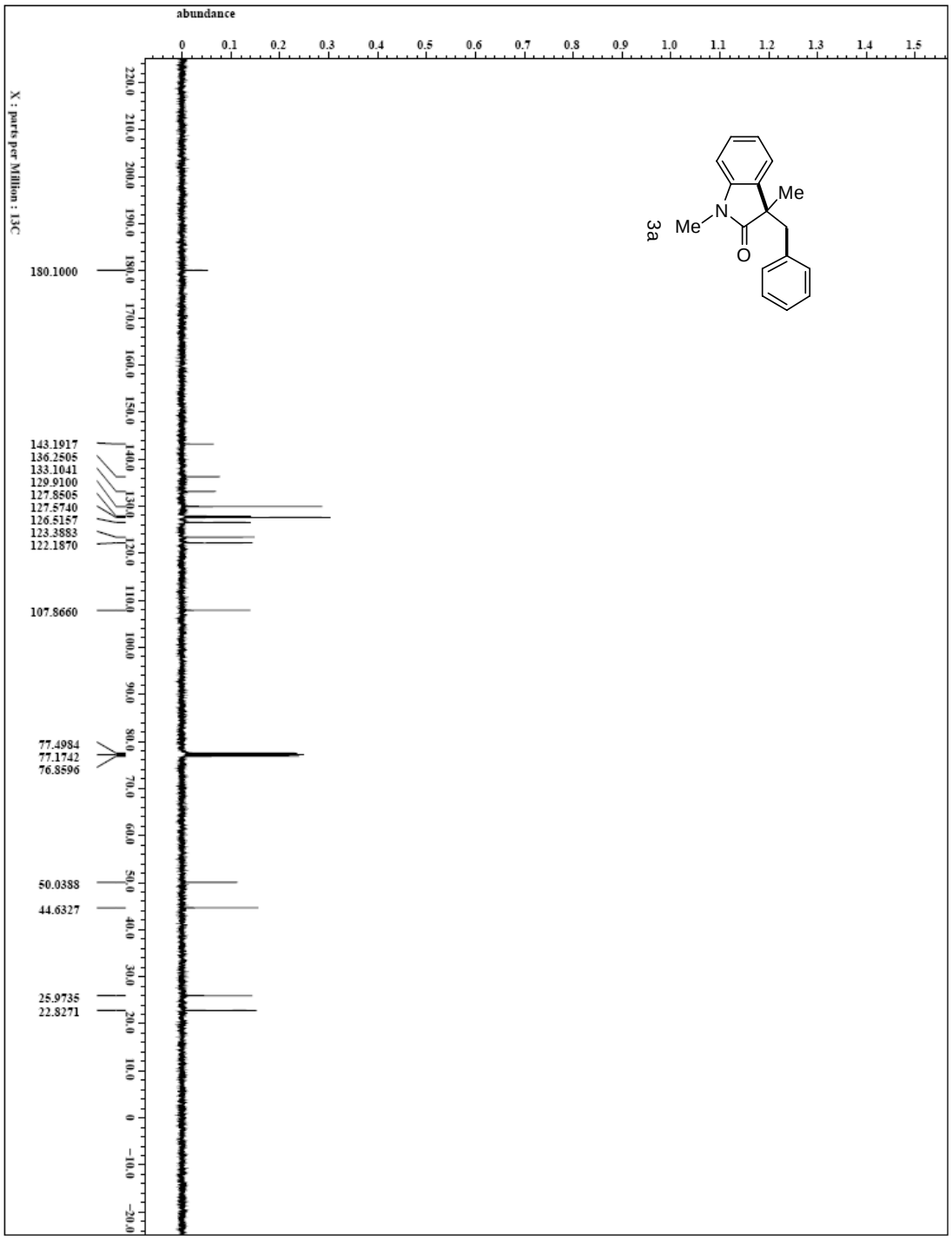
5-Methoxy-1,3-dimethyl-3-(1-phenylpropyl)indolin-2-one (3w). Eluent: hexane/ethyl acetate (10:1). Yield 41 mg (53%). Colorless oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.29 – 7.22 (m, 3H), 7.17 – 7.16 (m, 2H), 7.06 – 7.00 (m, 3H), 6.93 (d, 1H, *J* = 2.3 Hz), 6.78–6.73 (m, 4H), 6.68 (d, 1H, *J* = 8.7 Hz), 6.52 (d, 1H, *J* = 2.3 Hz), 6.48 (d, 1H, *J* = 8.2 Hz), 3.83 (s, 3H), 3.73 (s, 3H), 3.16 (s, 3H), 3.01(t, 1H, *J* = 7.7 Hz), 2.90 (dd, 1H, *J* = 12.4 Hz, *J* = 2.8 Hz), 2.74 (s, 3H), 2.10 – 2.04 (m, 1H), 1.86 – 1.77 (m, 1H), 1.53 – 1.48 (m, 2H), 1.45 (s, 3H), 1.22 (s, 3H), 0.70 (t, 3H, *J* = 7.3 Hz), 0.63 (t, 3H, *J* = 7.3 Hz) (*a pair of diastereoisomers were observed for the presence of a new chiral carbon, ratio = 1 : 1*). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.7, 179.8, 155.7, 155.6, 139.5, 138.9, 137.6, 137.2, 134.1, 130.4, 129.0, 128.1, 128.7, 127.8, 127.5, 127.3, 127.1, 126.7, 112.2, 112.1, 111.9, 108.1, 107.9, 56.1, 55.9, 55.1, 54.9, 53.2, 52.6, 26.4, 25.8, 22.9, 22.0, 21.1, 12.8, 12.4. ESI-MS: [M+H]+ m/z 210.1, [M+Na]+ m/z 332.2.

References

1 W. Fu, F. Xu, Y. Fu, M. Zhu, J. Yu, C. Xu, D. Zou, *J. Org. Chem*., 2013, **78**, 12202.

^{1}H and ^{13}C NMR spectra of compounds **3a-w**

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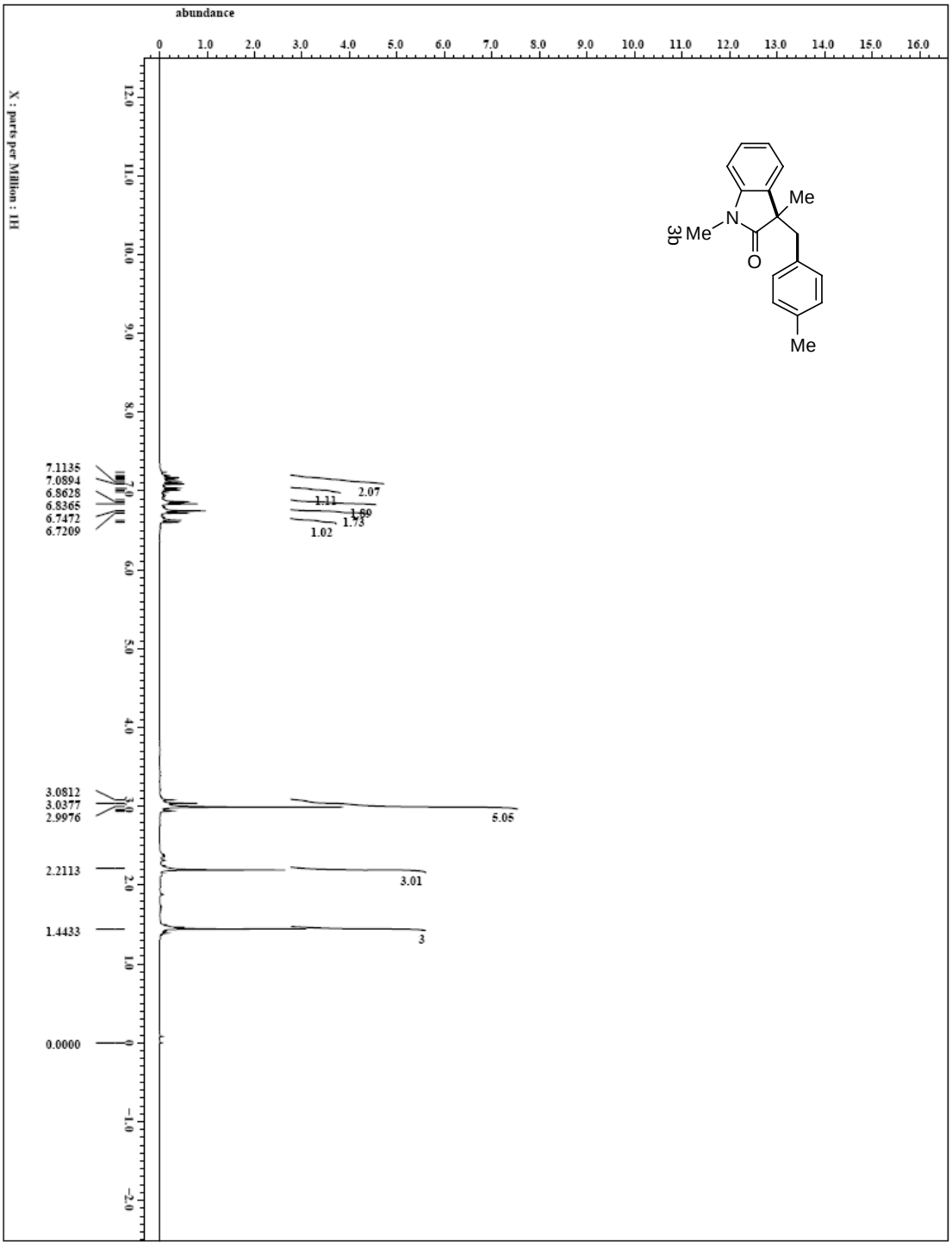
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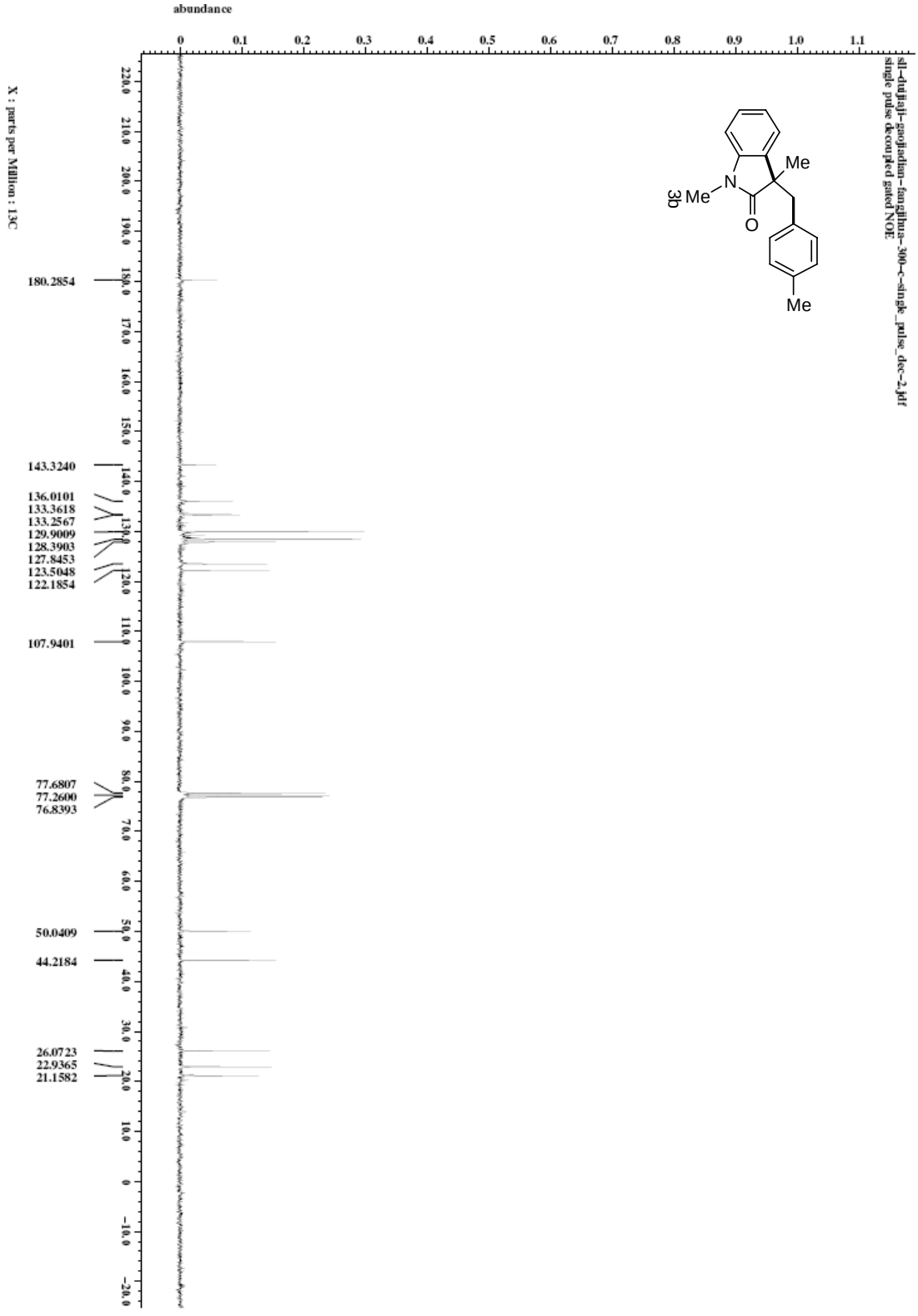
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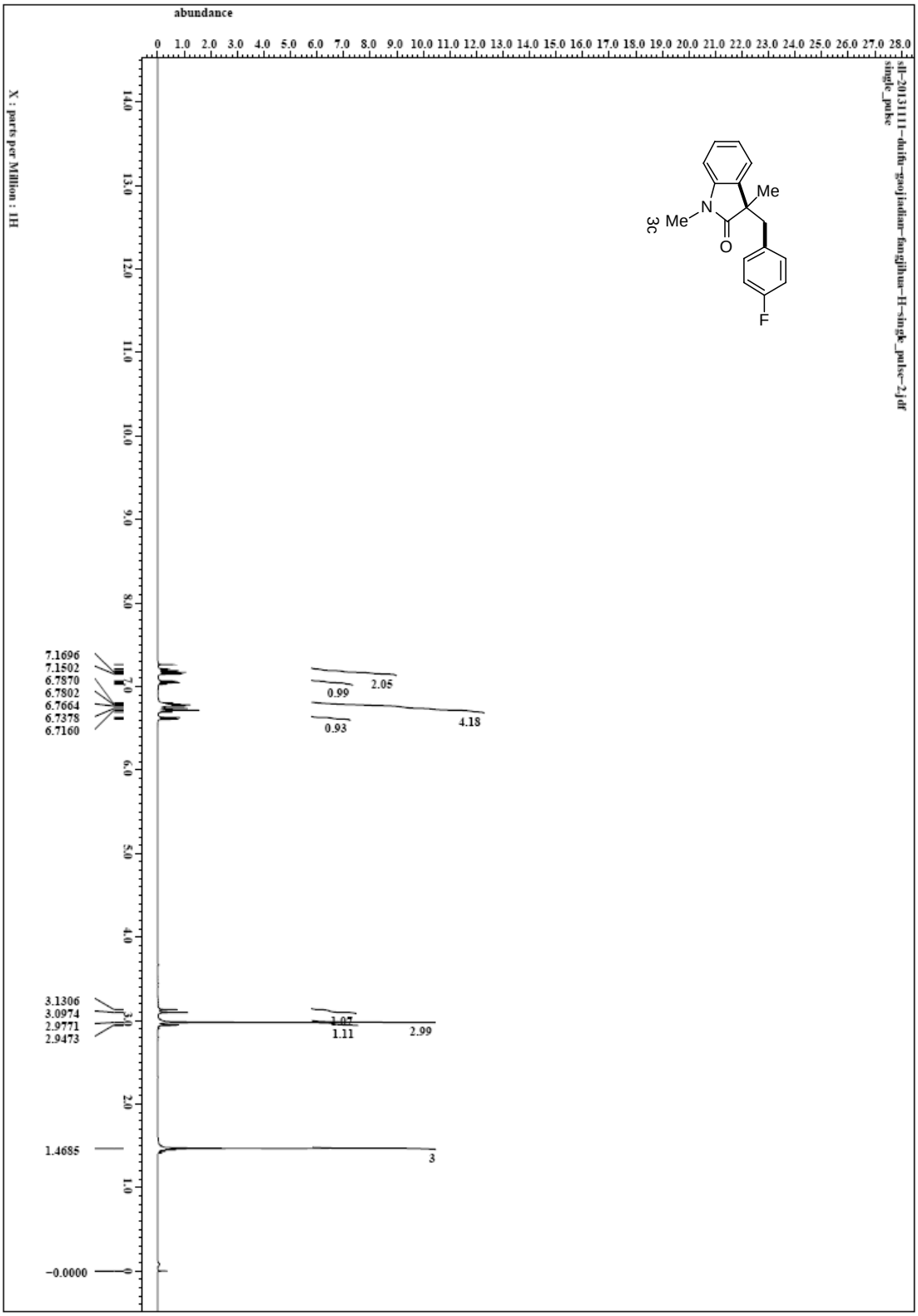
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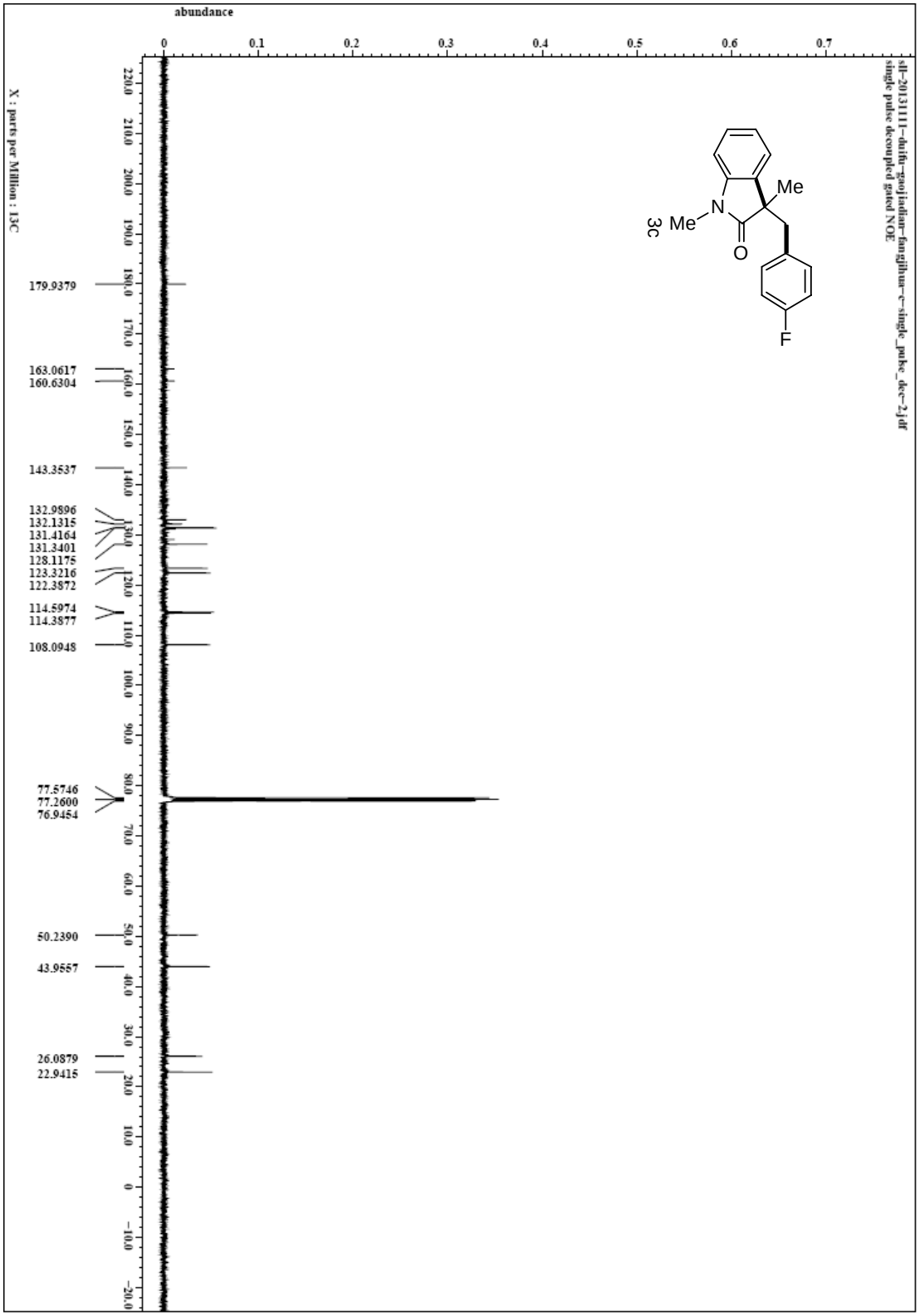
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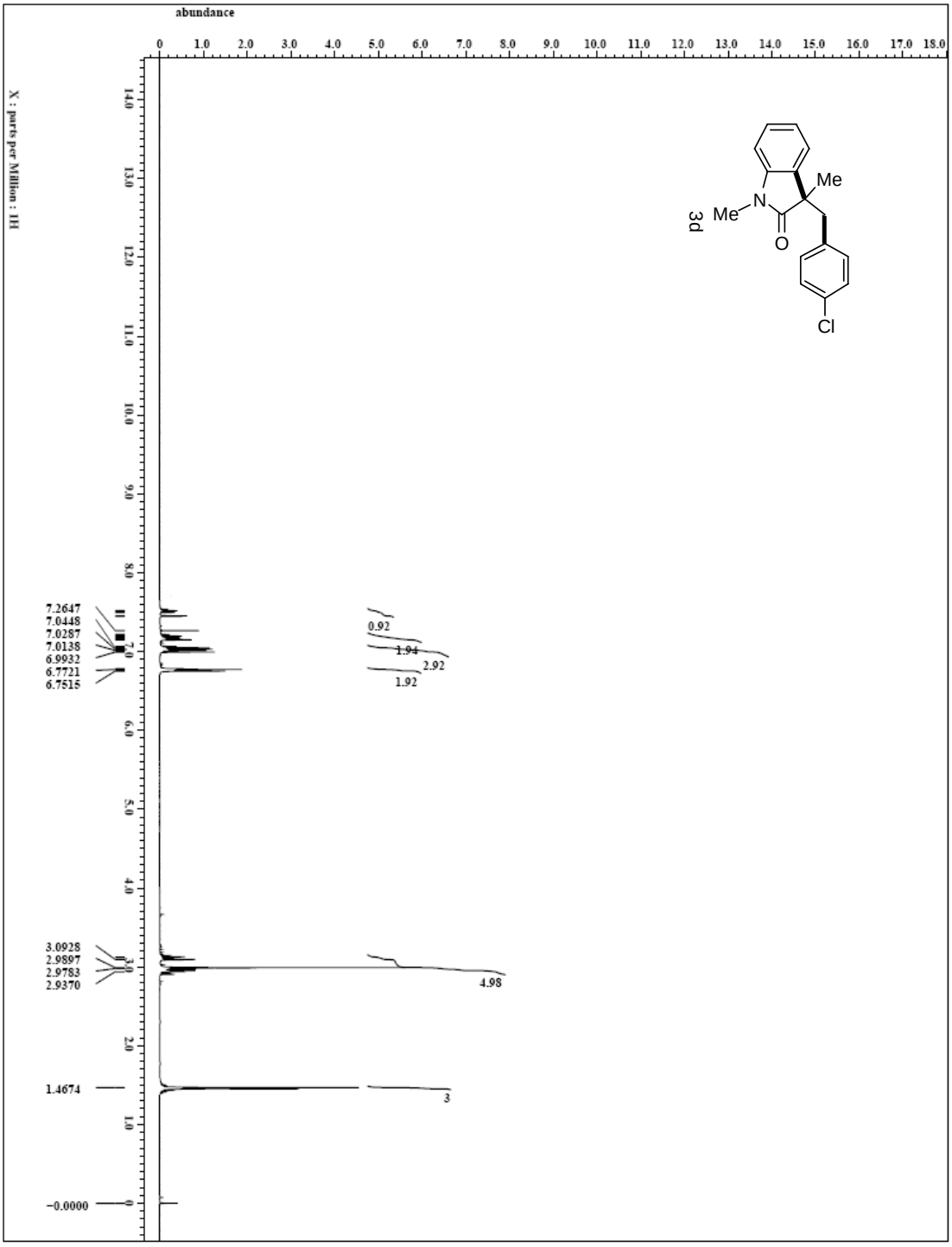
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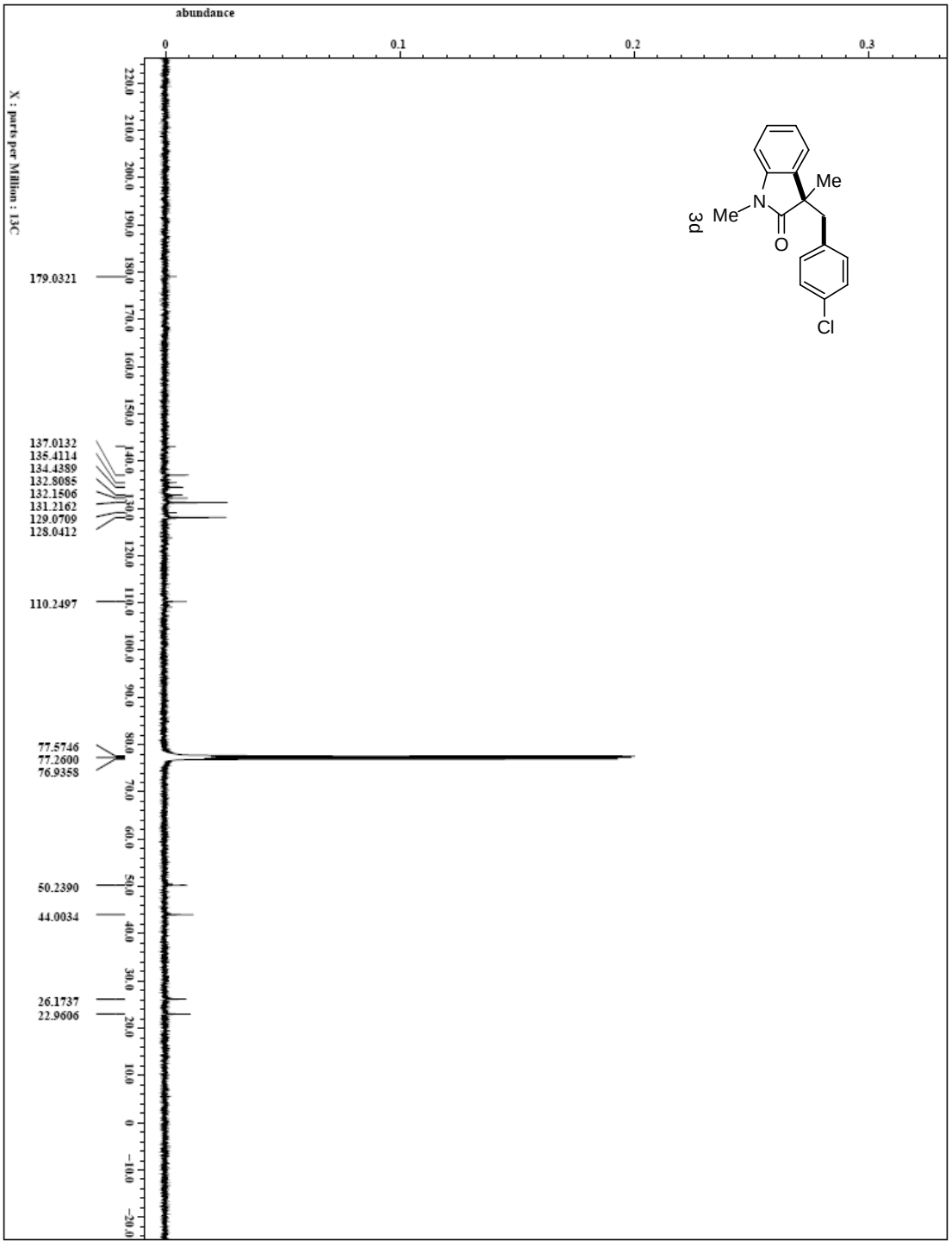
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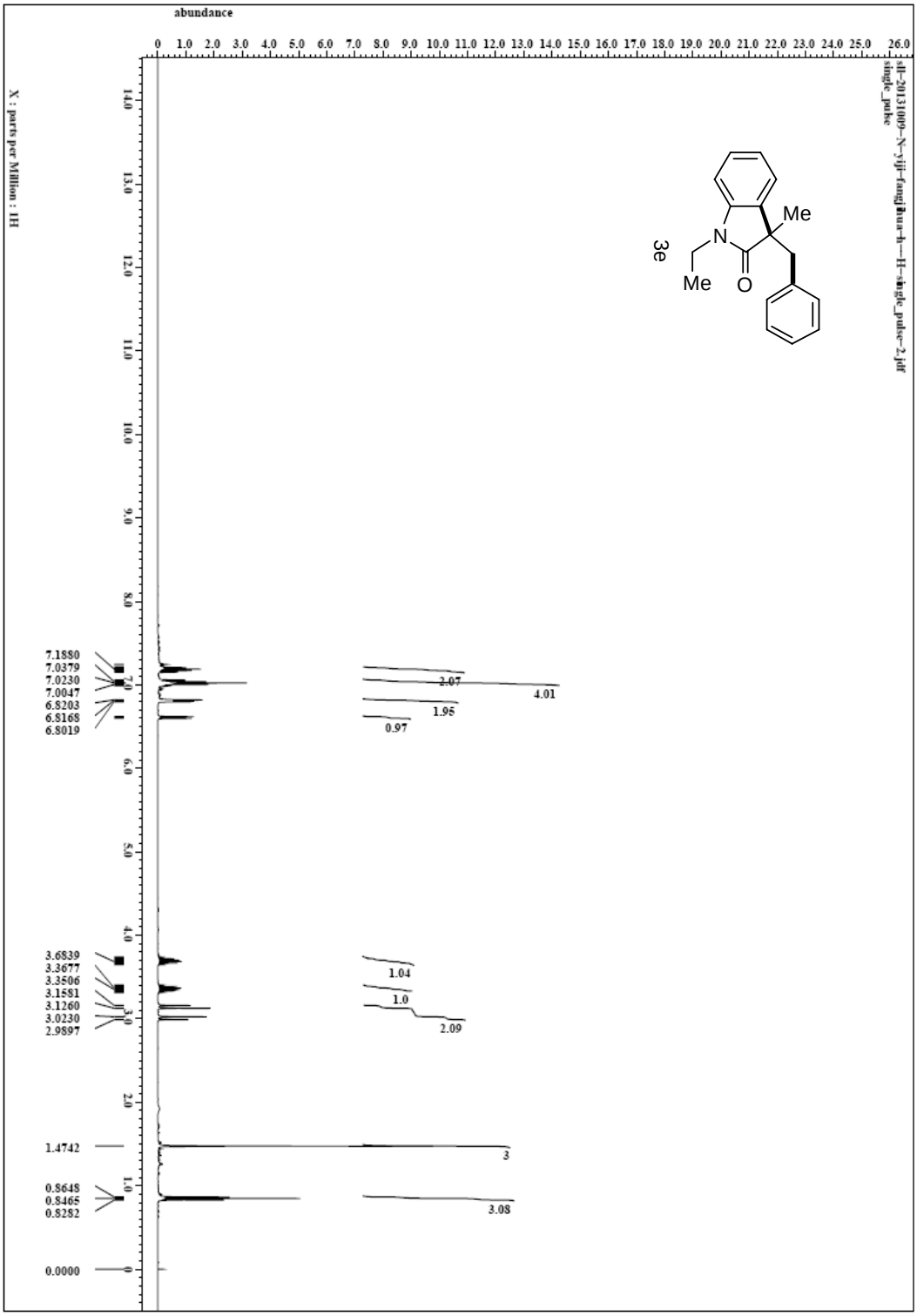
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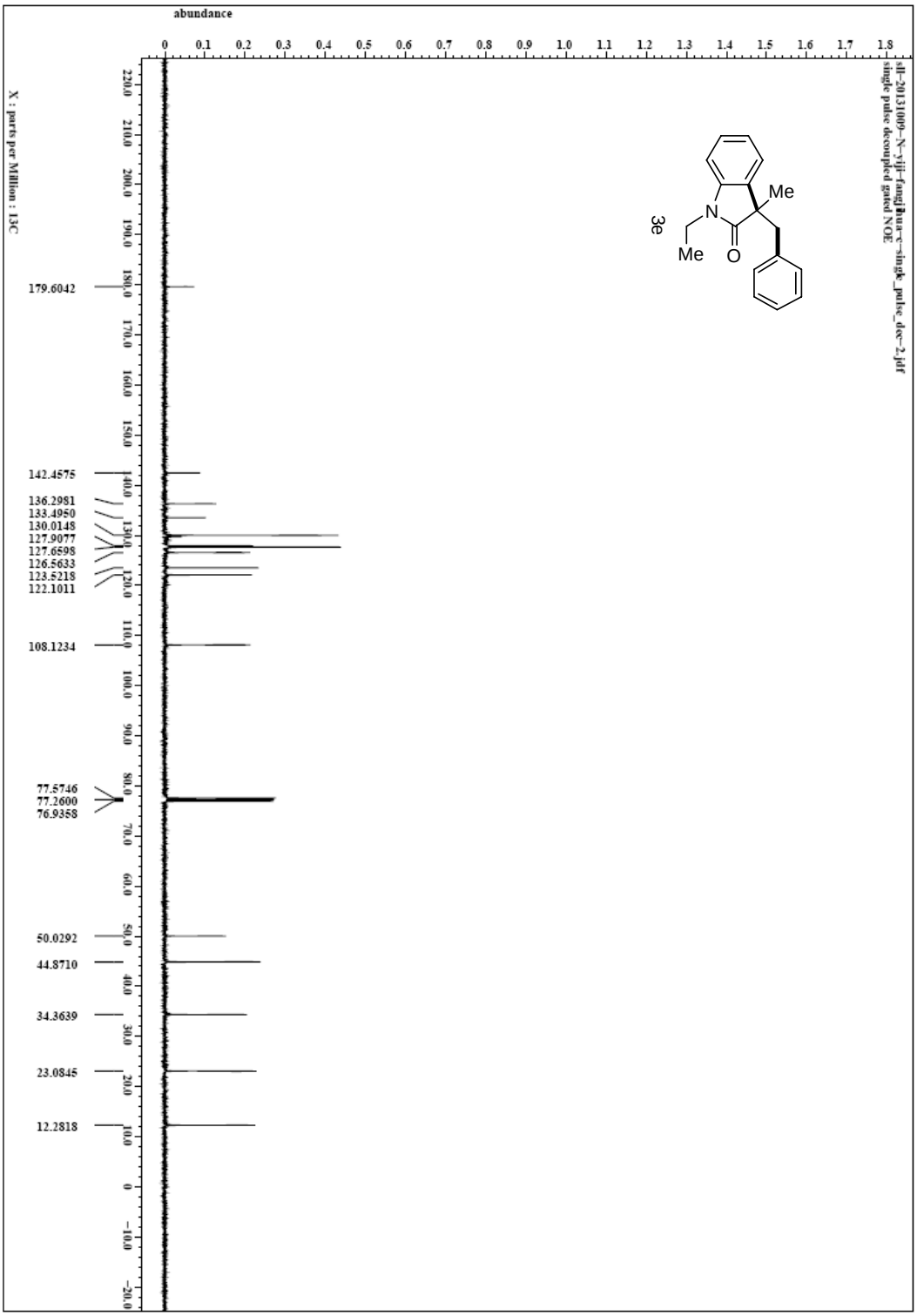
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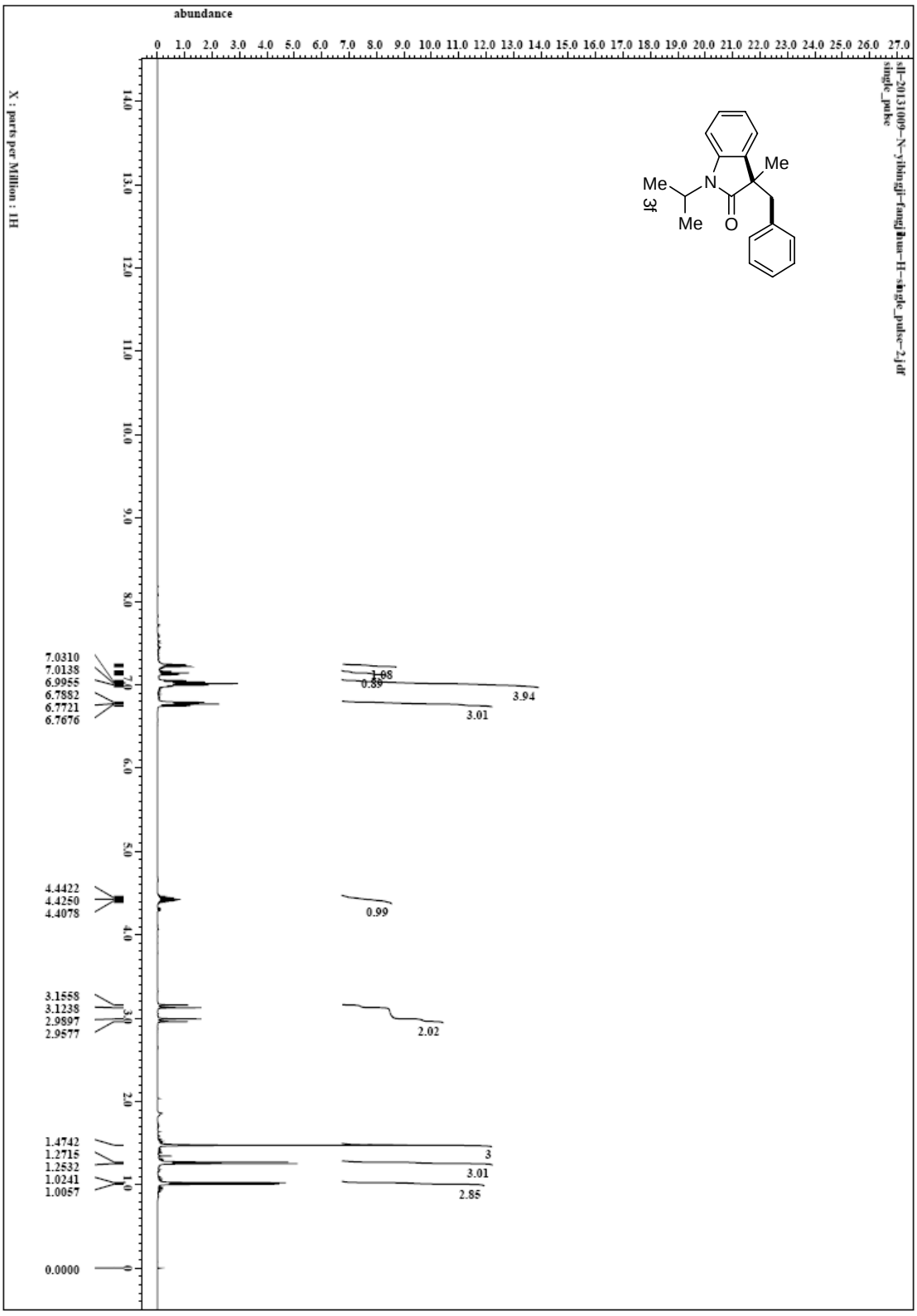
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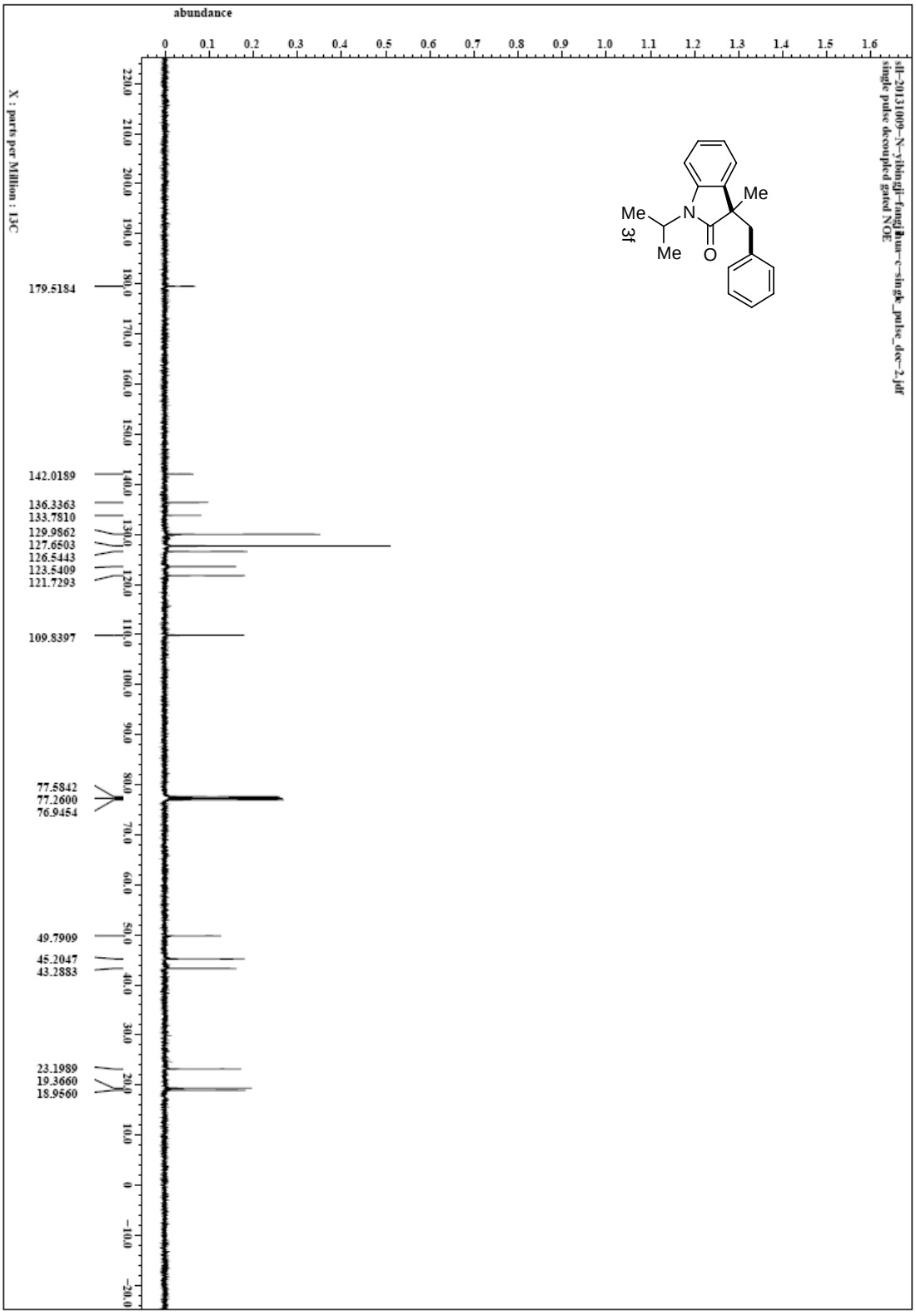
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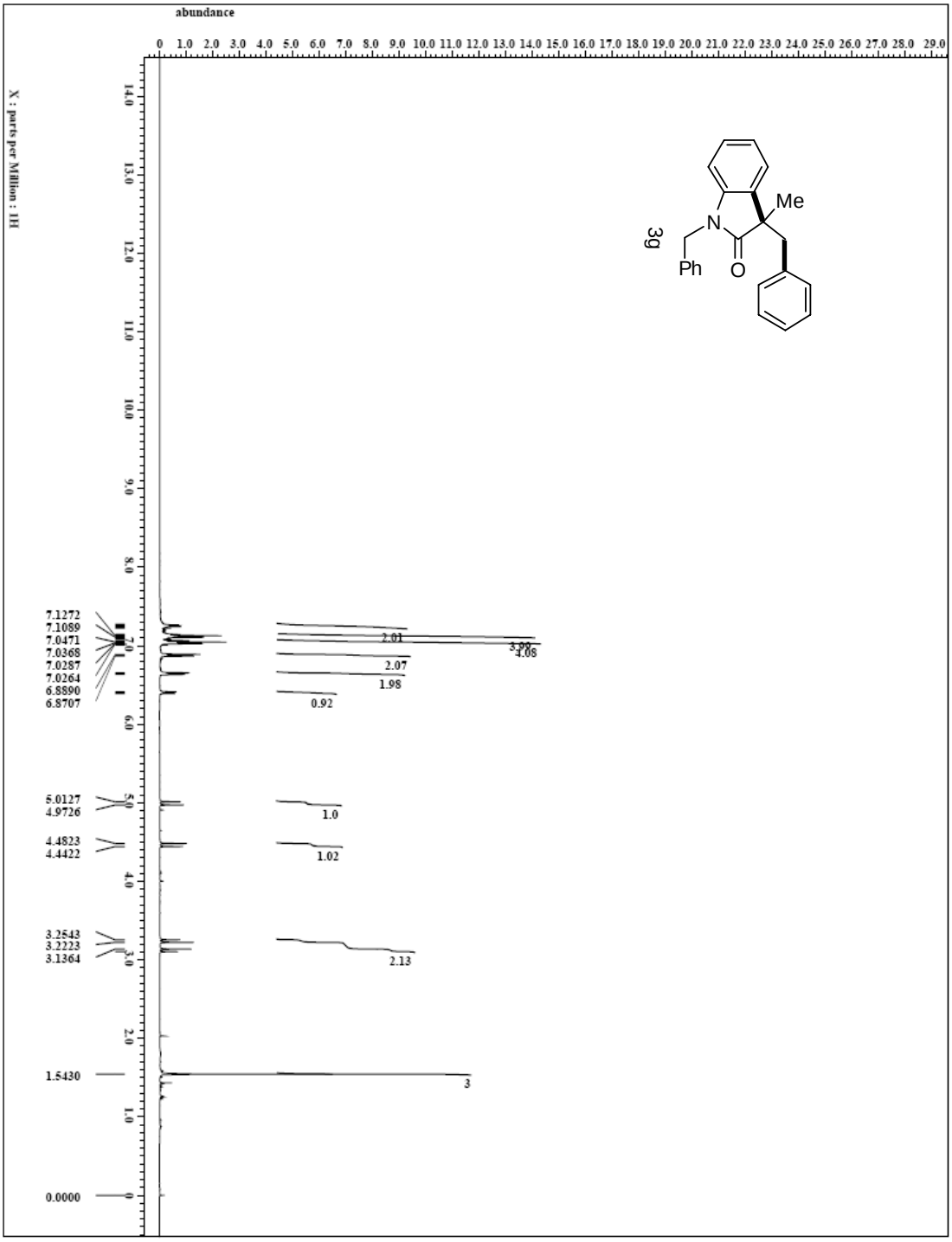
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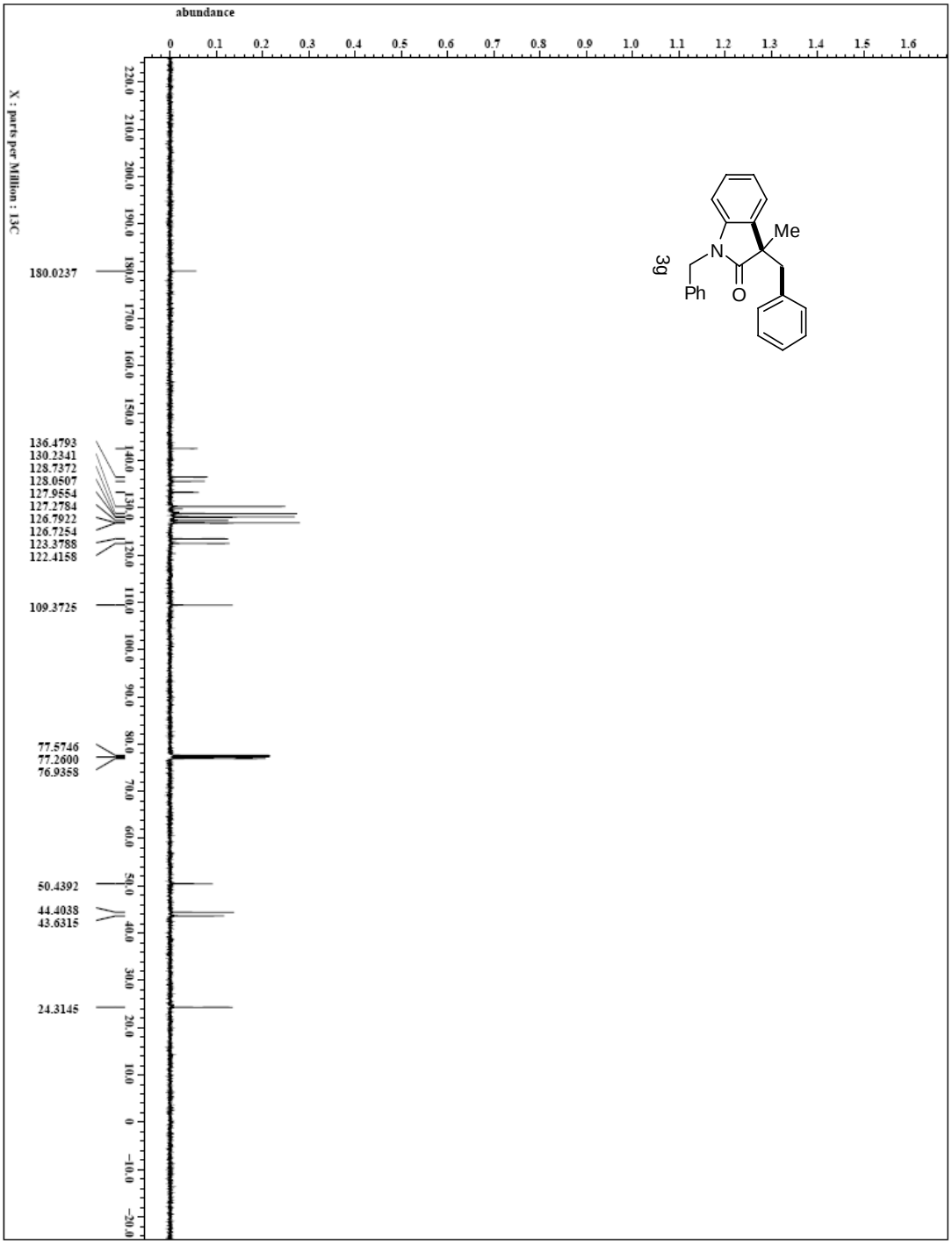
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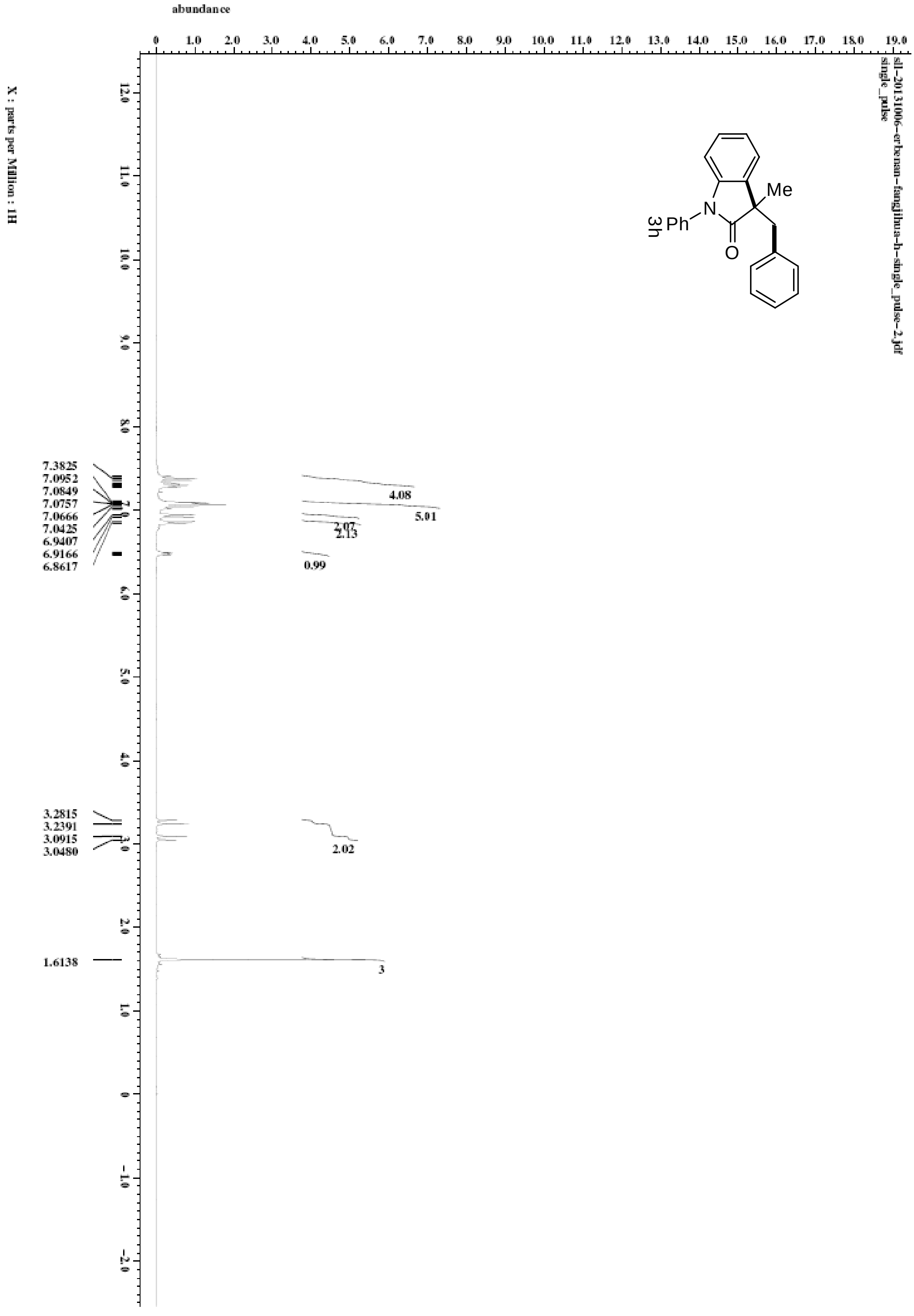
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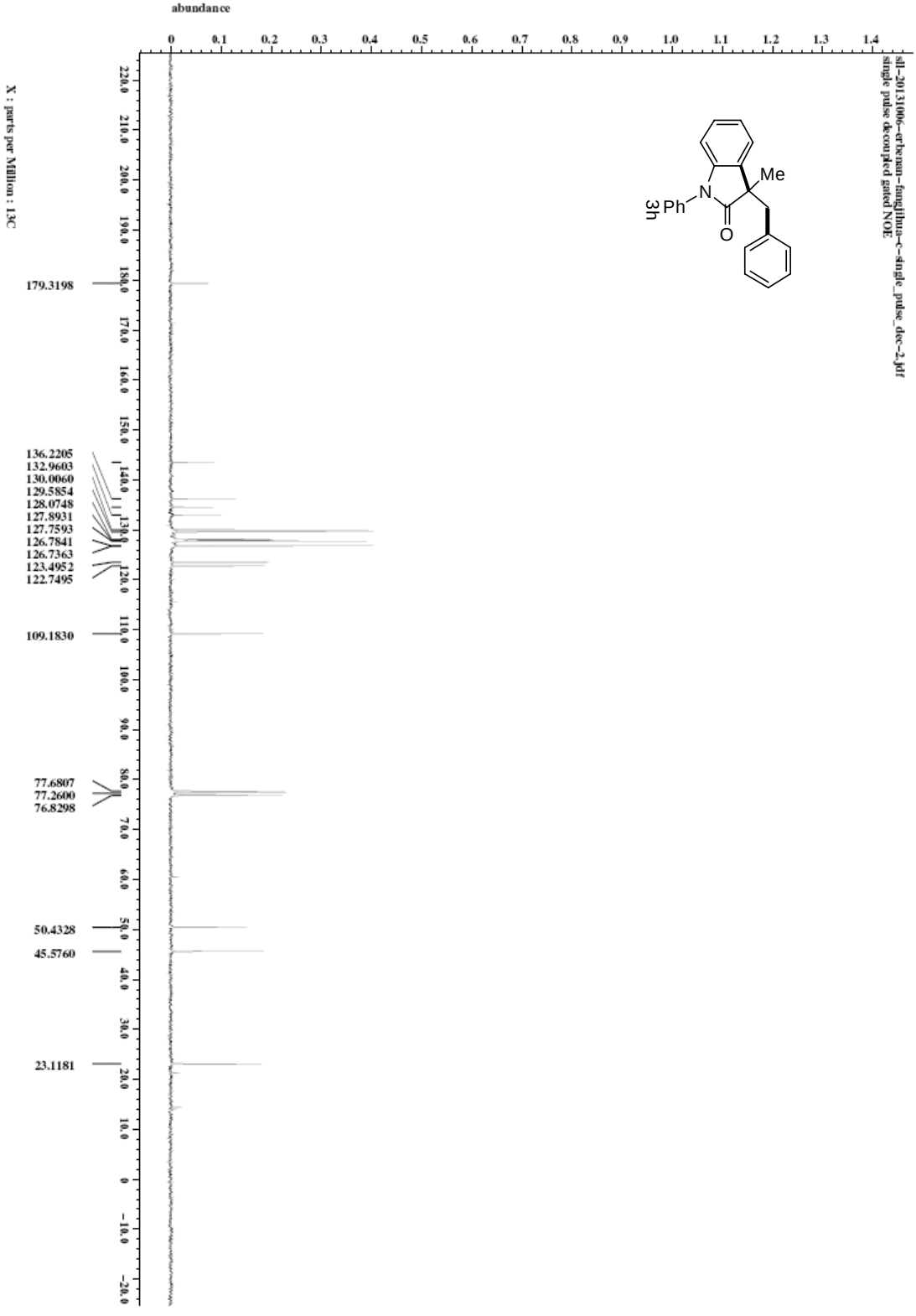
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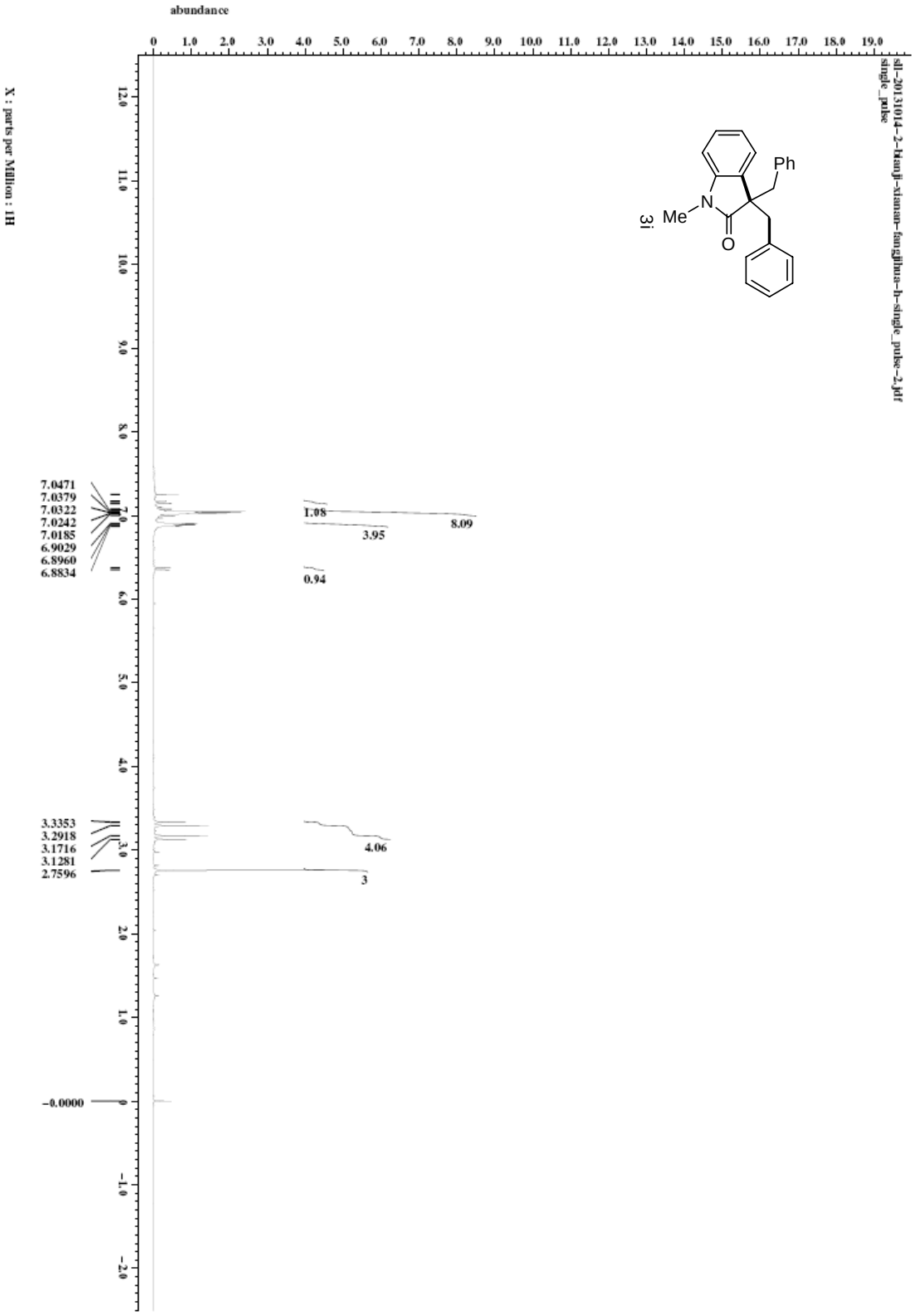
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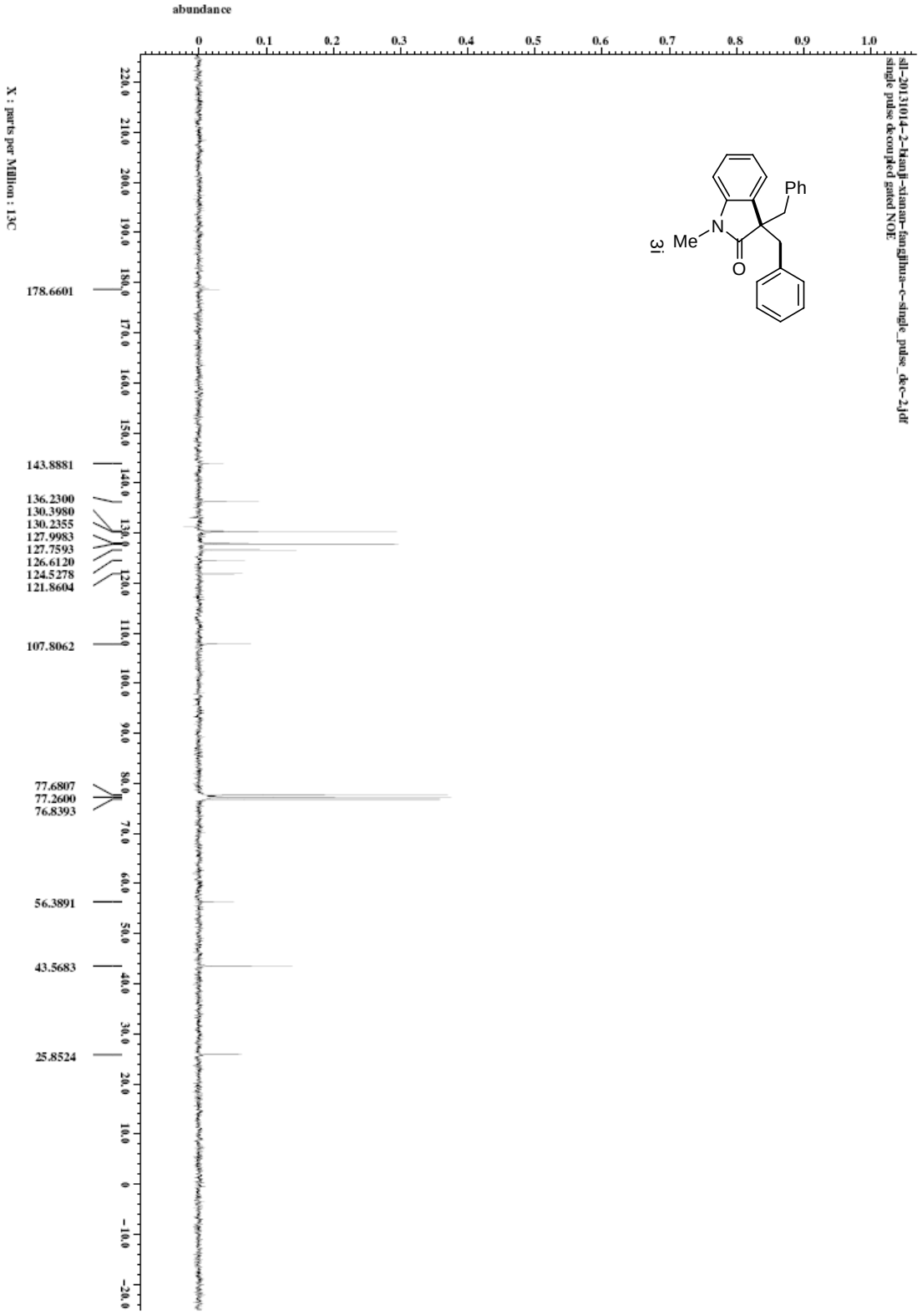
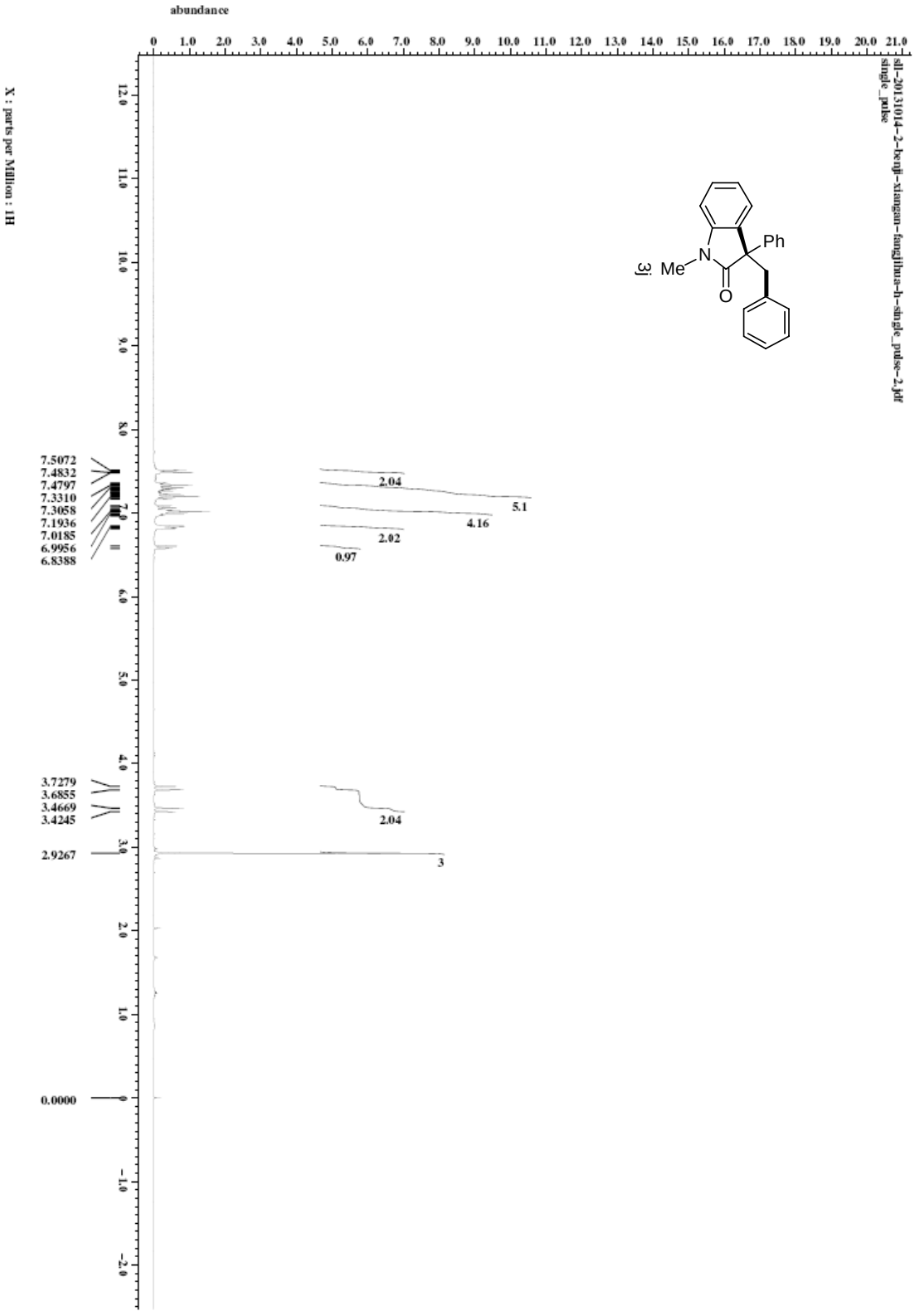
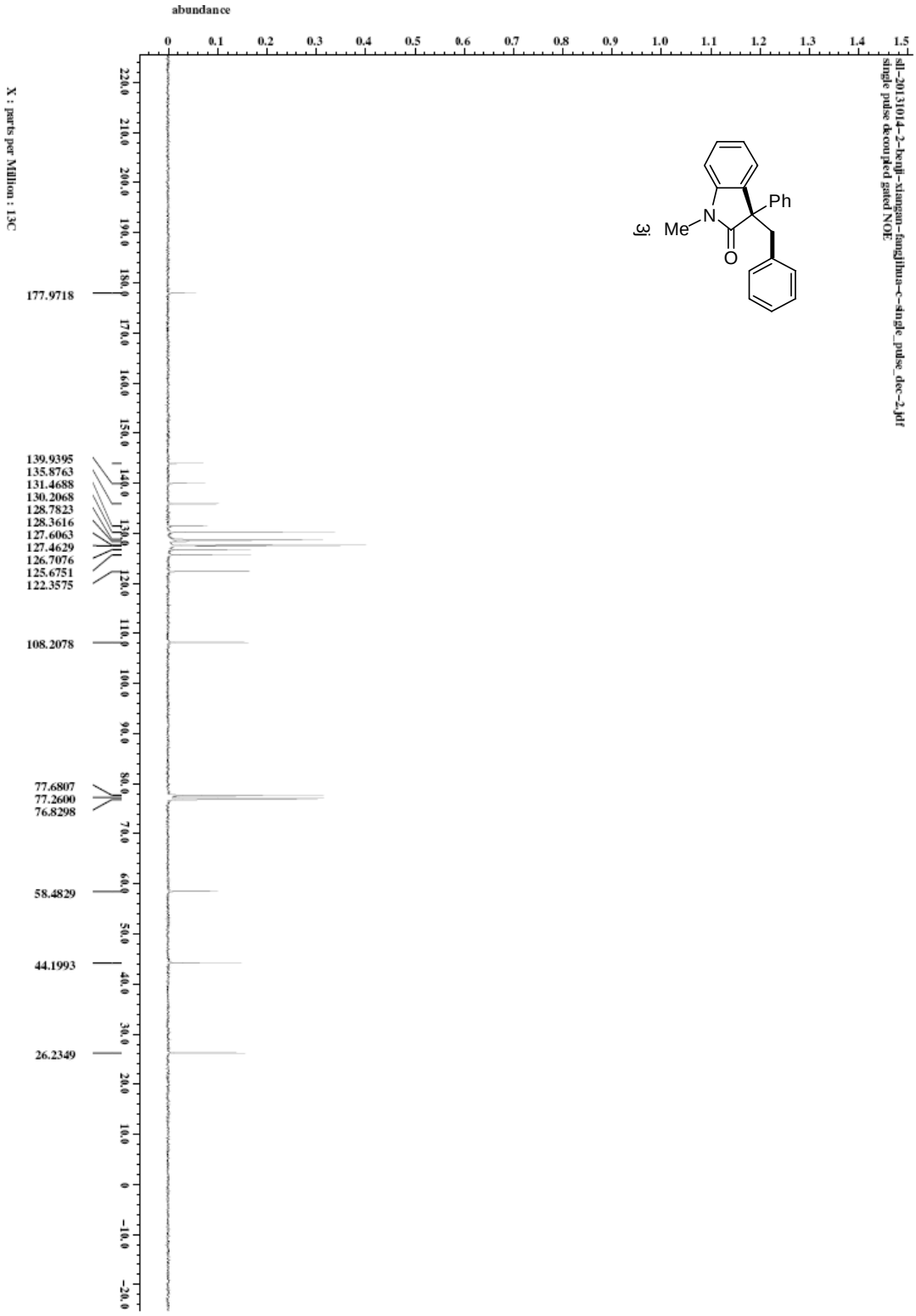
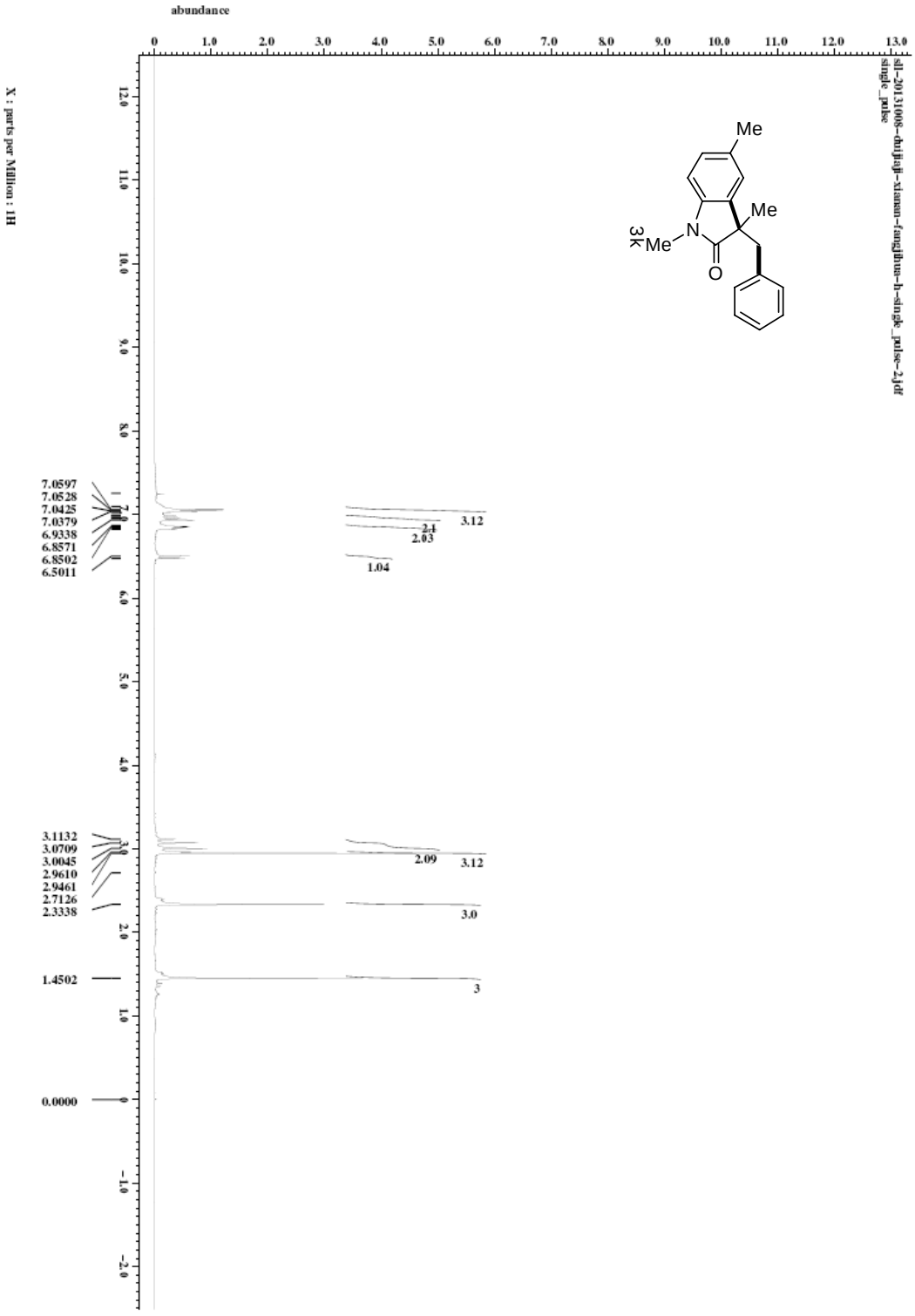
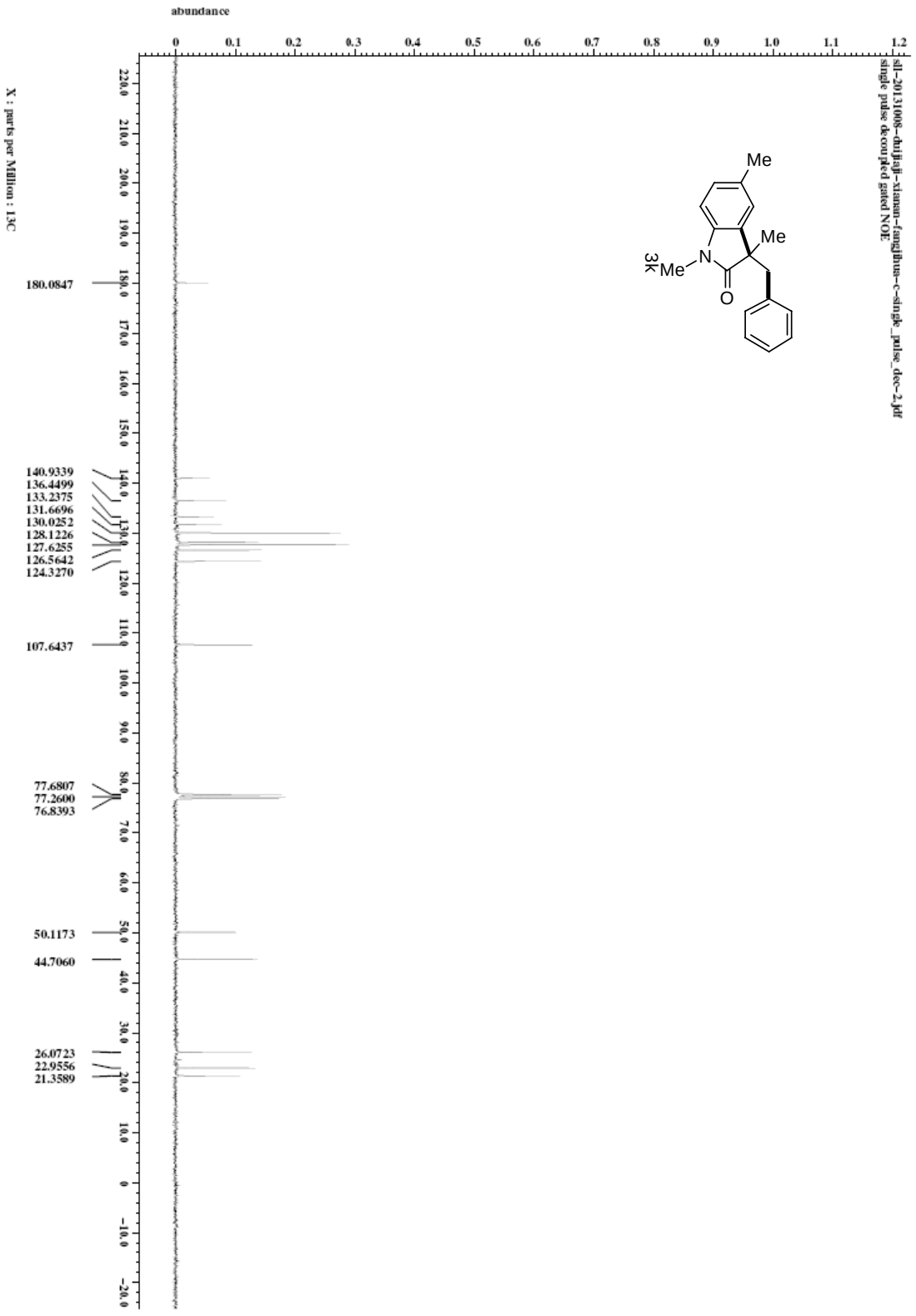
0 1.0 2.0 3.0 4.0 5.0 6.0 7.0 8.0 9.0 10.0 11.0 12.0 13.0 14.0 15.0 16.0 17.0 18.0 19.0
sdl-20131014-2-tianji-xianan-fangjihua-h-single_pulse-2.jdf
single_pulse
X : parts per Million : 1H
Ph
N
Me
O
3i
7.0471
7.0379
7.0322
7.0242
7.0185
6.9029
6.8960
6.8834
1.08
8.09
3.95
0.94
3.3353
3.2918
3.1716
3.1281
2.7596
4.06
3
-0.0000

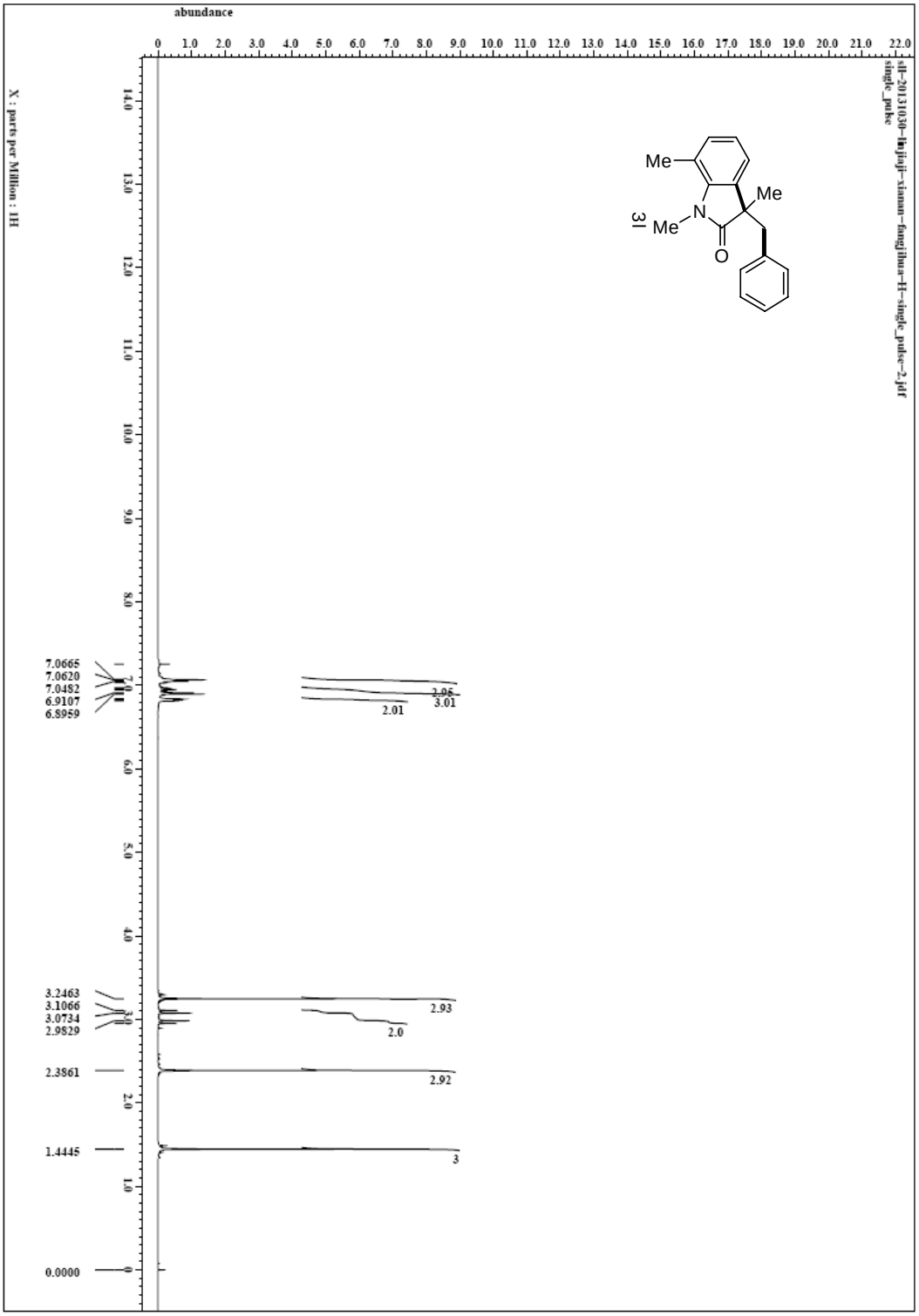

abundance
0
0.1
0.2
0.3
0.4
0.5
0.6
0.7
0.8
0.9
1.0
sll-20131014-2-Hanji-xiansu-fangjihua-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE
X : parts per Million : 13C
178.6601
143.8881
136.2300
130.3980
130.2355
127.9983
127.7593
126.6120
124.5278
121.8604
107.8062
77.6807
77.2600
76.8393
56.3891
43.5683
25.8524
Ph
N
Me
O
3i

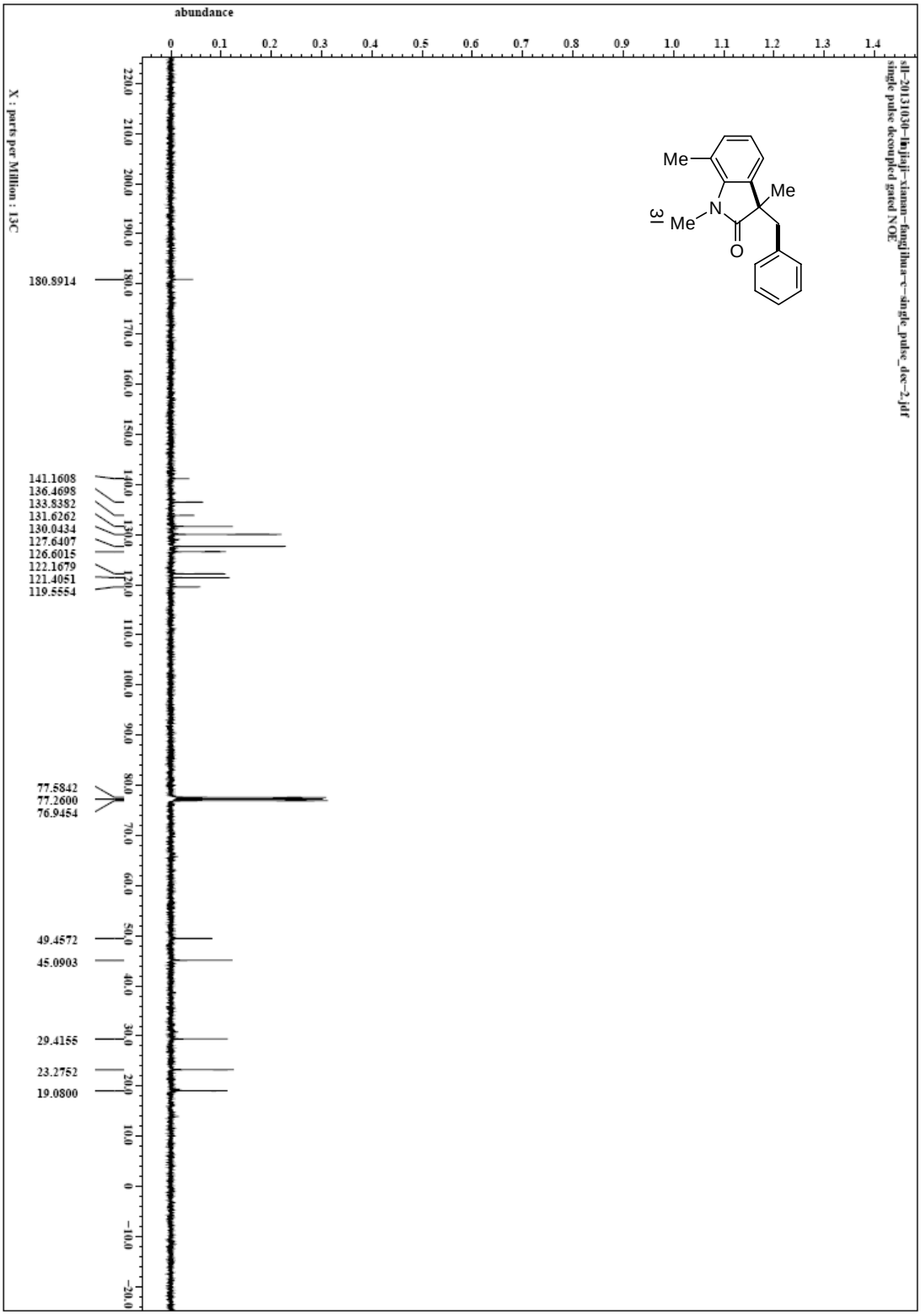

abundance
X : parts per Million : 1H
sll-20131014-2-benji-xiangan-fangjihua-h-single_pulse-2.jdf
single_pulse
Ph
N
Me
O
3
7.5072
7.4832
7.4797
7.3310
7.3058
7.1936
7.0185
6.9956
6.8388
3.7279
3.6855
3.4669
3.4245
2.9267
0.0000
2.04
5.1
4.16
2.02
0.97
2.04
3

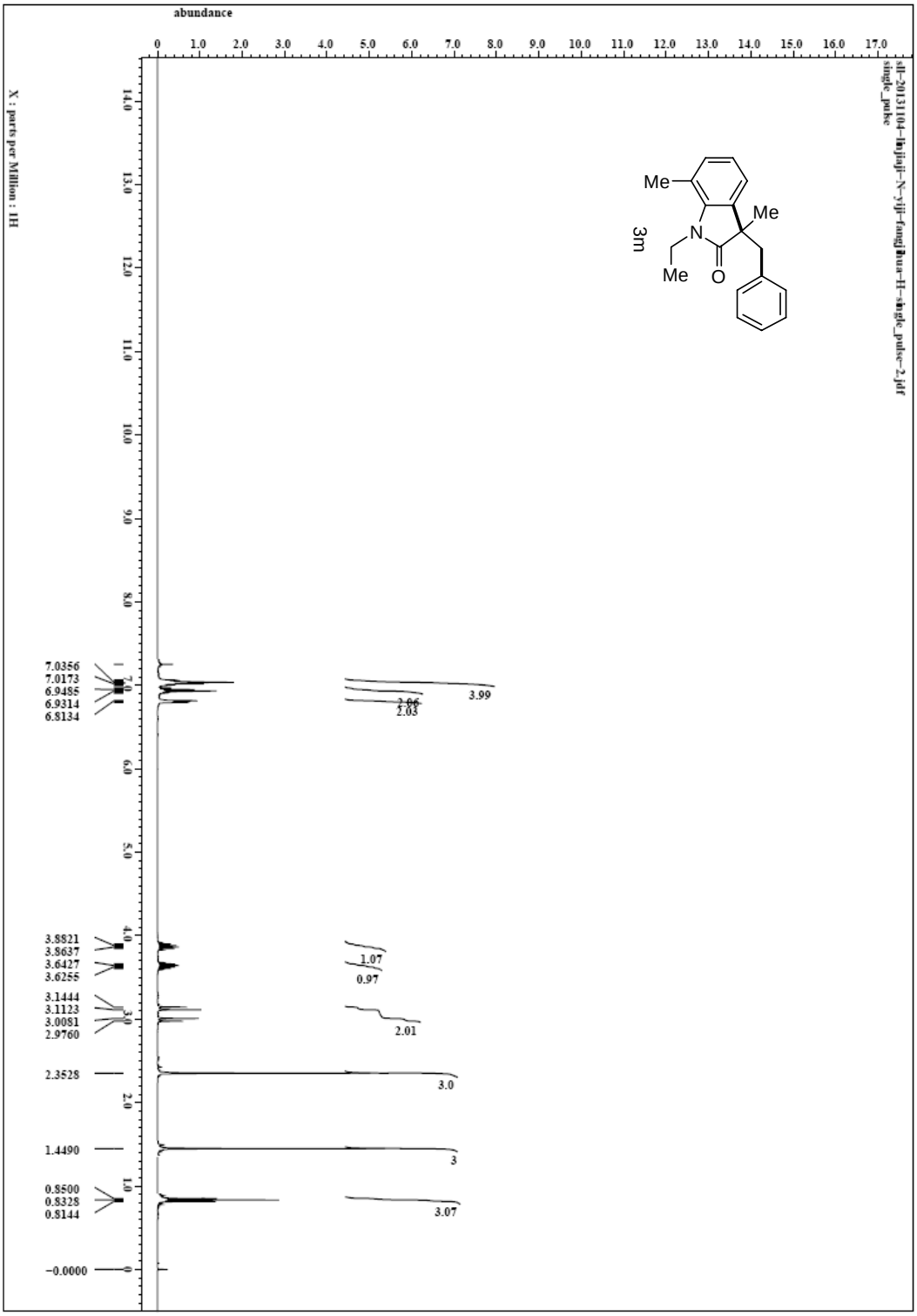

abundance
0 0.1 0.2 0.3 0.4 0.5 0.6 0.7 0.8 0.9 1.0 1.1 1.2 1.3 1.4 1.5
sli-20131014-2-benji-xiangan-fangjihua-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE
X : parts per Million : 13C
Me
N
O
Ph
3i
177.9718
139.9395
135.8763
131.4688
130.2068
128.7823
128.3616
127.6063
127.4629
126.7076
125.6751
122.3575
108.2078
77.6807
77.2600
76.8298
58.4829
44.1993
26.2349

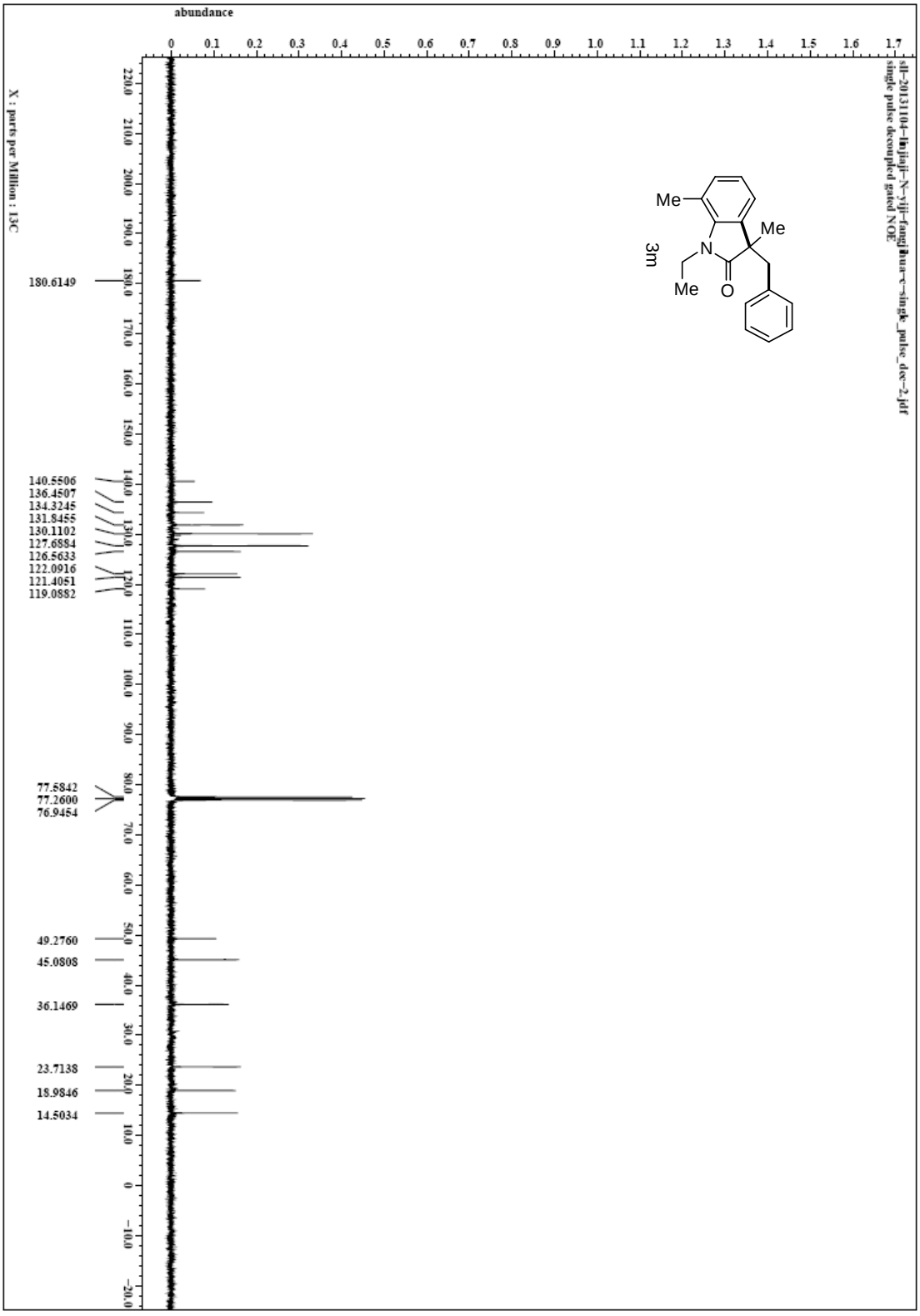

abundance
X : parts per Million : 1H
sll-20131008-dujiaji-xiaoan-fangjibus-h-single_pulse-2.jdf
single_pulse
Me
Me
N
Me
O
7.0597
7.0528
7.0425
7.0379
6.9338
6.8571
6.8502
6.5011
3.12
2.4
2.03
1.04
3.1132
3.0709
3.0045
2.9610
2.9461
2.7126
2.3338
2.09
3.12
3.0
1.4502
3
0.0000

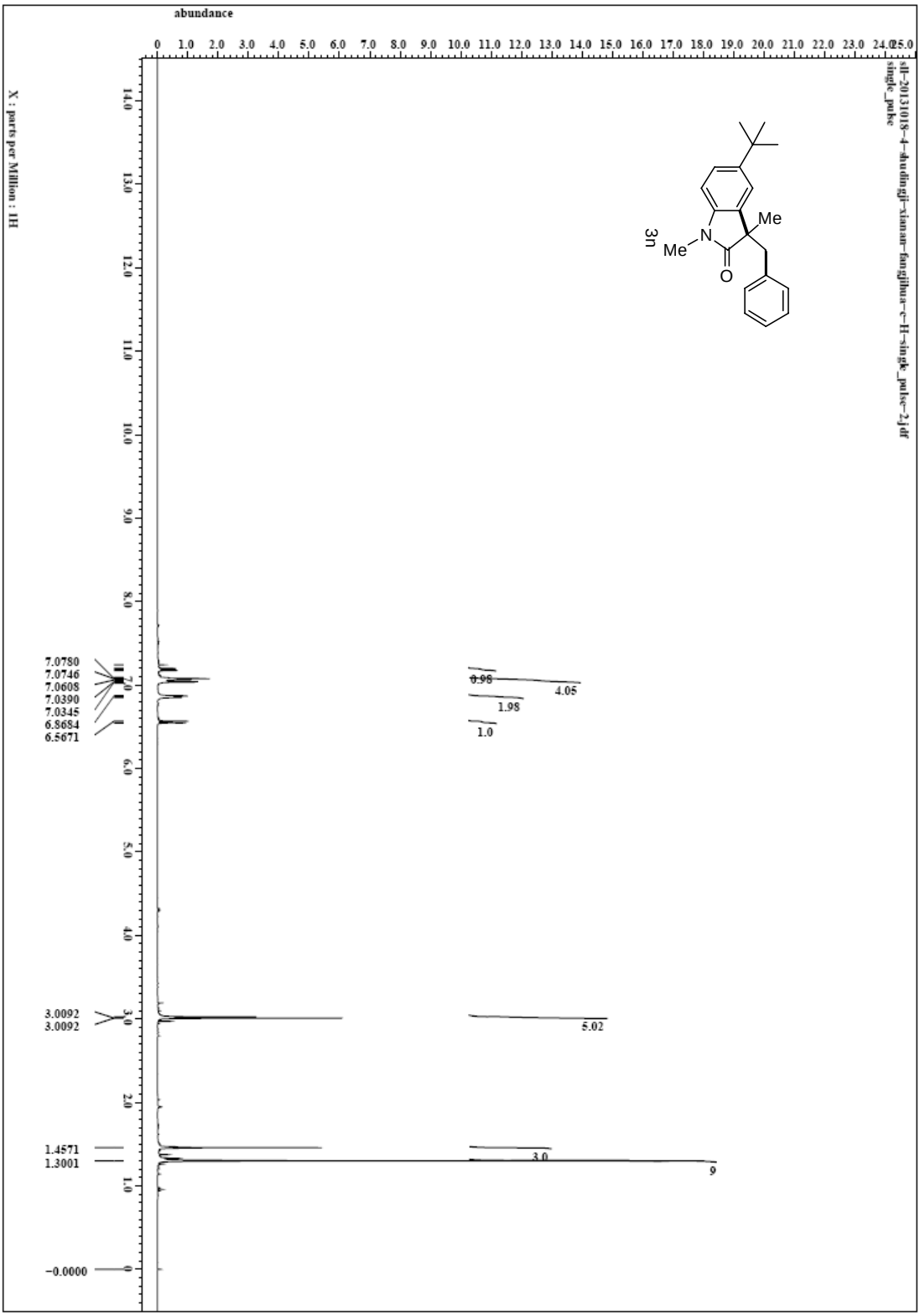

abundance
0
0.1
0.2
0.3
0.4
0.5
0.6
0.7
0.8
0.9
1.0
1.1
1.2
sdl-20131008-dujiajl-xiansn-fangjibus-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE
X : parts per Million : 13C
220.0
210.0
200.0
190.0
180.0
170.0
160.0
150.0
140.0
130.0
120.0
110.0
100.0
90.0
80.0
70.0
60.0
50.0
40.0
30.0
20.0
10.0
0
-10.0
-20.0
180.0847
140.9339
136.4499
133.2375
131.6696
130.0252
128.1226
127.6255
126.5642
124.3270
107.6437
77.6807
77.2600
76.8393
50.1173
44.7060
26.0723
22.9556
21.3589
Me
Me
3k
Me
N
O

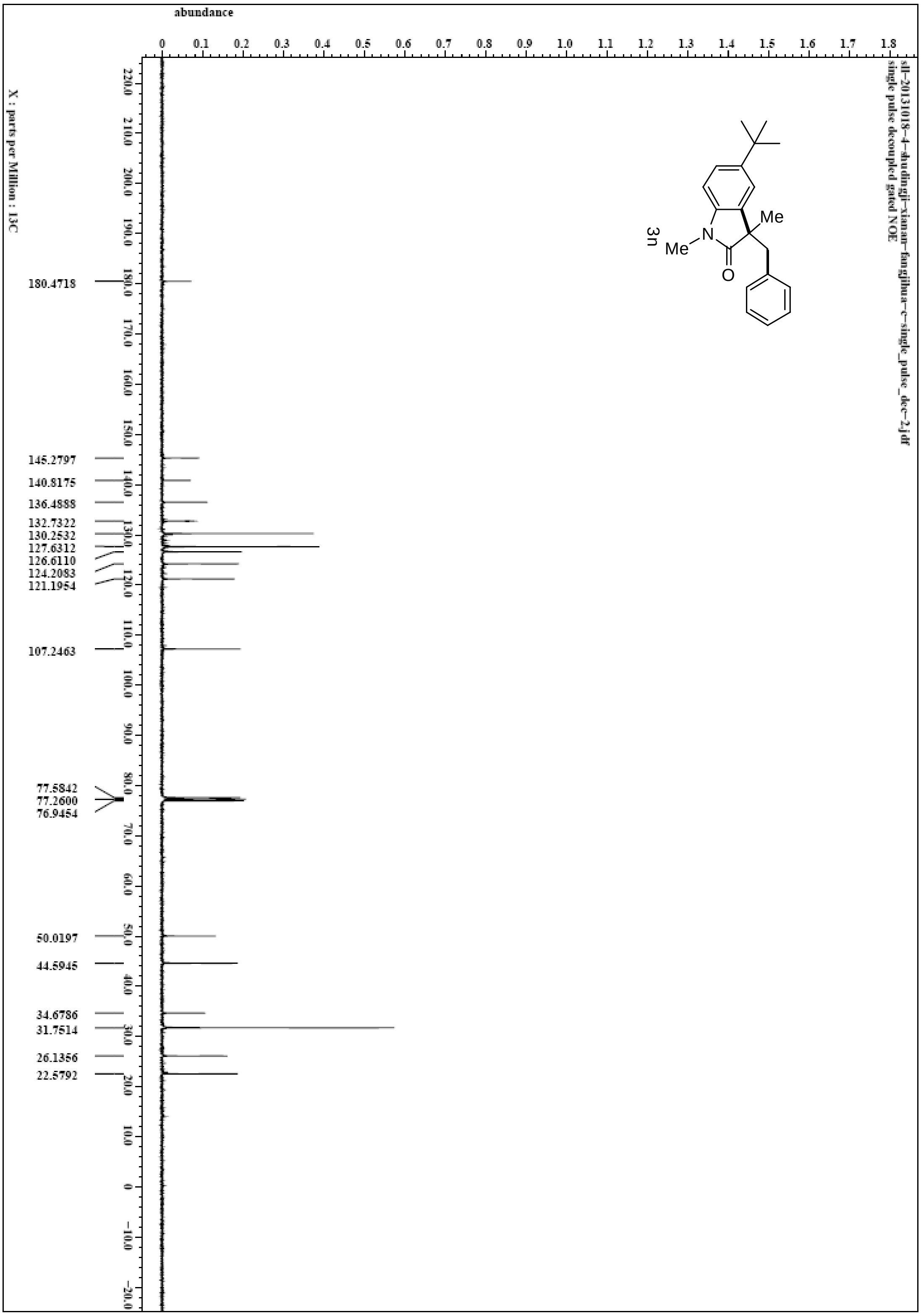

abundance
X : parts per Million : 1H
Me
Me
N
Me
O
3l
7.0665
7.0620
7.0482
6.9107
6.8959
2.05
3.01
2.01
3.2463
3.1066
3.0734
2.9829
2.93
2.0
2.3861
2.92
1.4445
3
0.0000

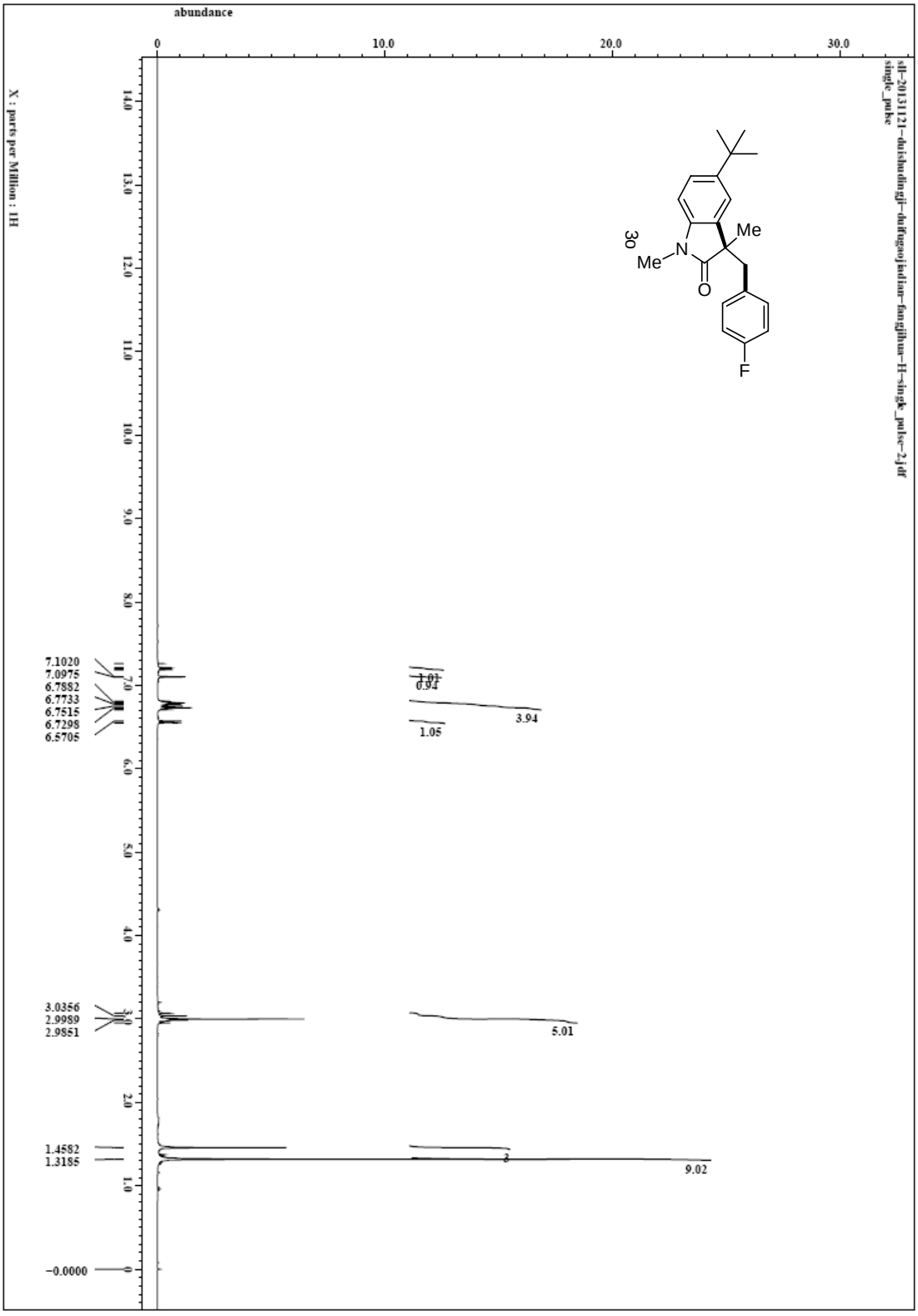

abundance
X : parts per Million : 13C
180.8914
141.1608
136.4698
133.8382
131.6262
130.0434
127.6407
126.6015
122.1679
121.4051
119.5554
77.5842
77.2600
76.9454
49.4572
45.0903
29.4155
23.2752
19.0800
Me
Me
N
Me
O
3l

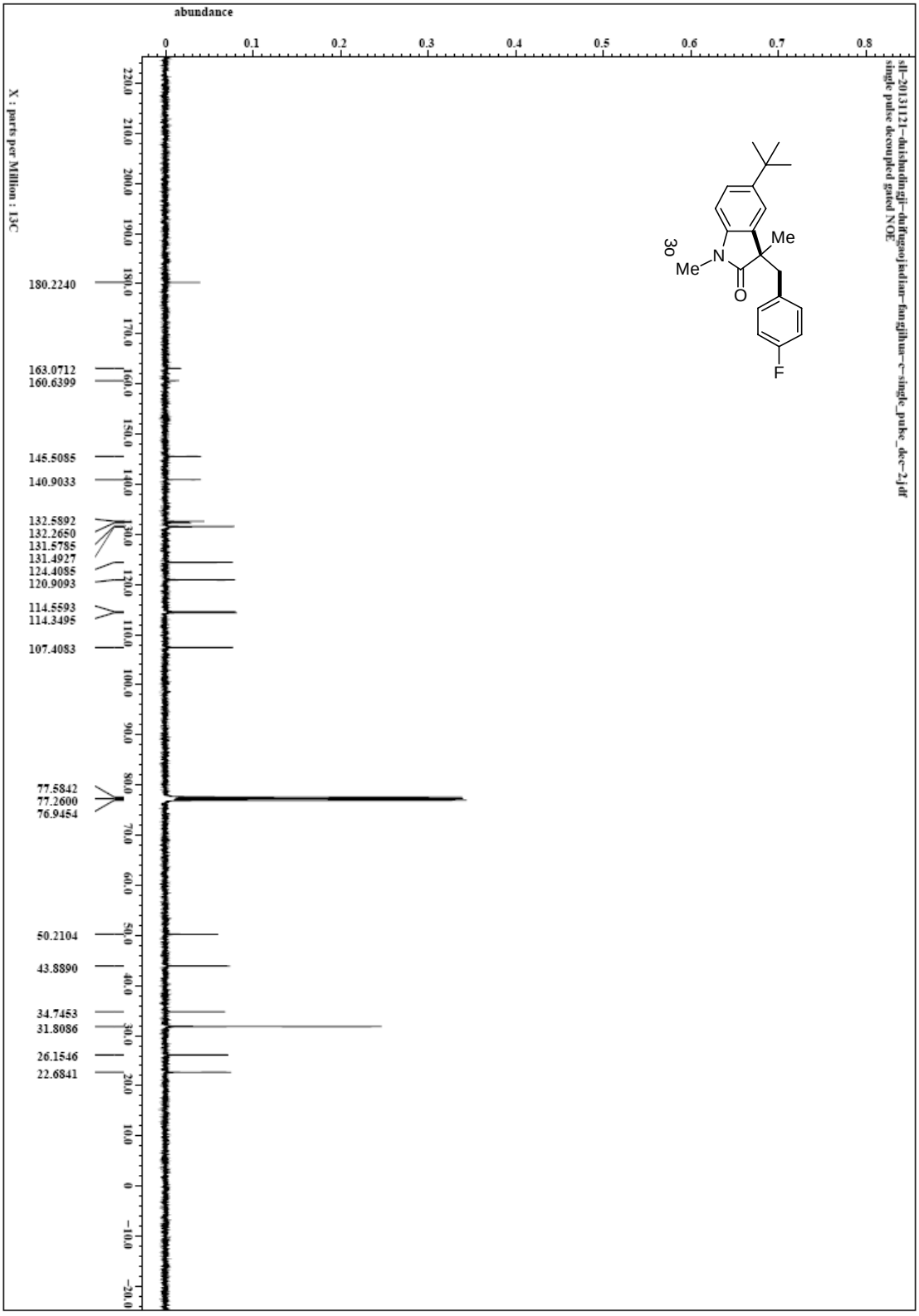

abundance
X : parts per Million : 1H
Me
Me
N
Me
O
3m
7.0356
7.0173
6.9485
6.9314
6.8134
3.99
2.03
3.8821
3.8637
3.6427
3.6255
1.07
0.97
3.1444
3.1123
3.0081
2.9760
2.01
2.3528
3.0
1.4490
3
0.8500
0.8328
0.8144
3.07
−0.0000

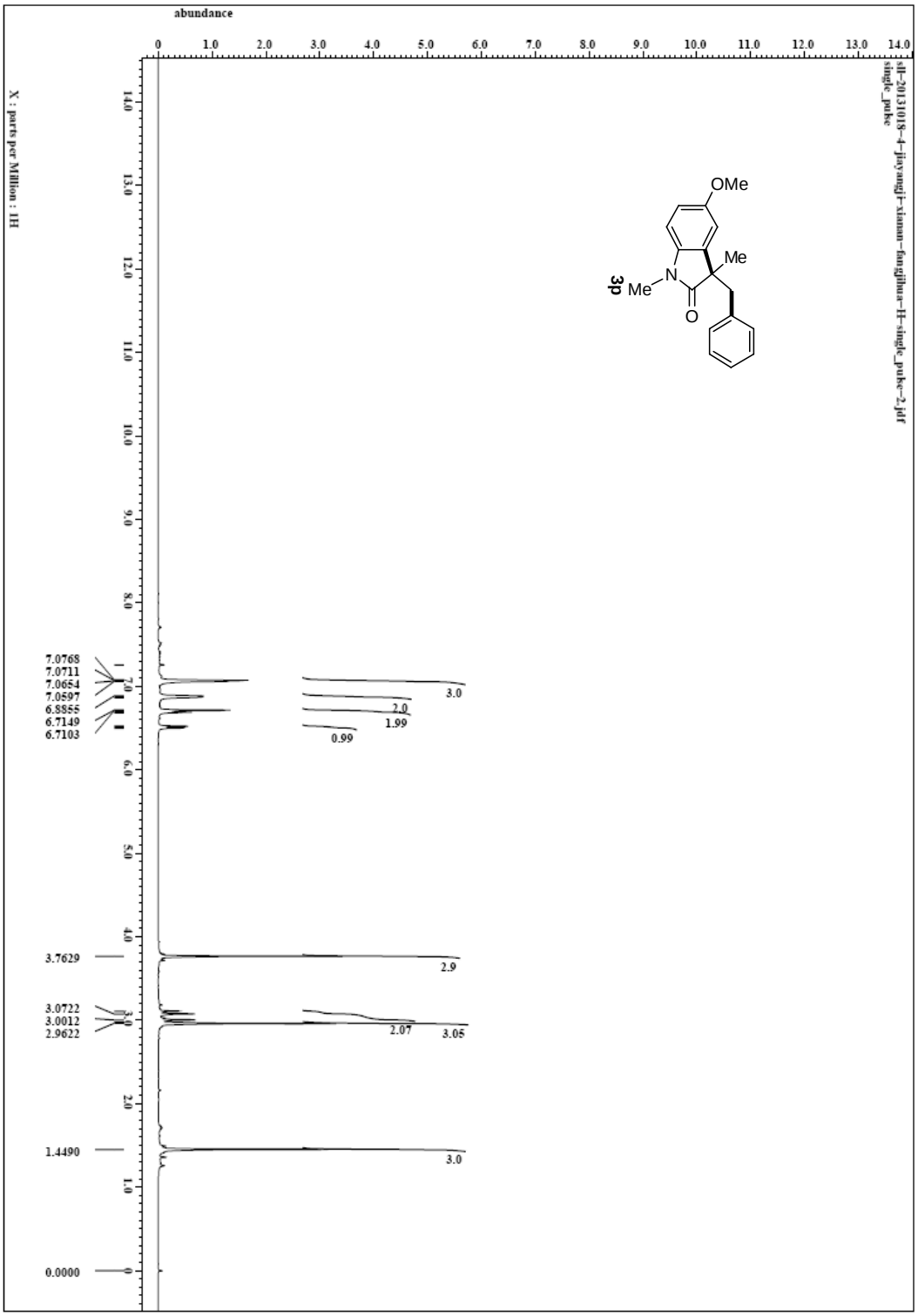

abundance
X : parts per Million : 13C
180.6149
140.5506
136.4507
134.3245
131.8455
130.1102
127.6884
126.5633
122.0916
121.4051
119.0882
77.5842
77.2600
76.9454
49.2760
45.0808
36.1469
23.7138
18.9846
14.5034
Me
Me
N
Me
O
3m

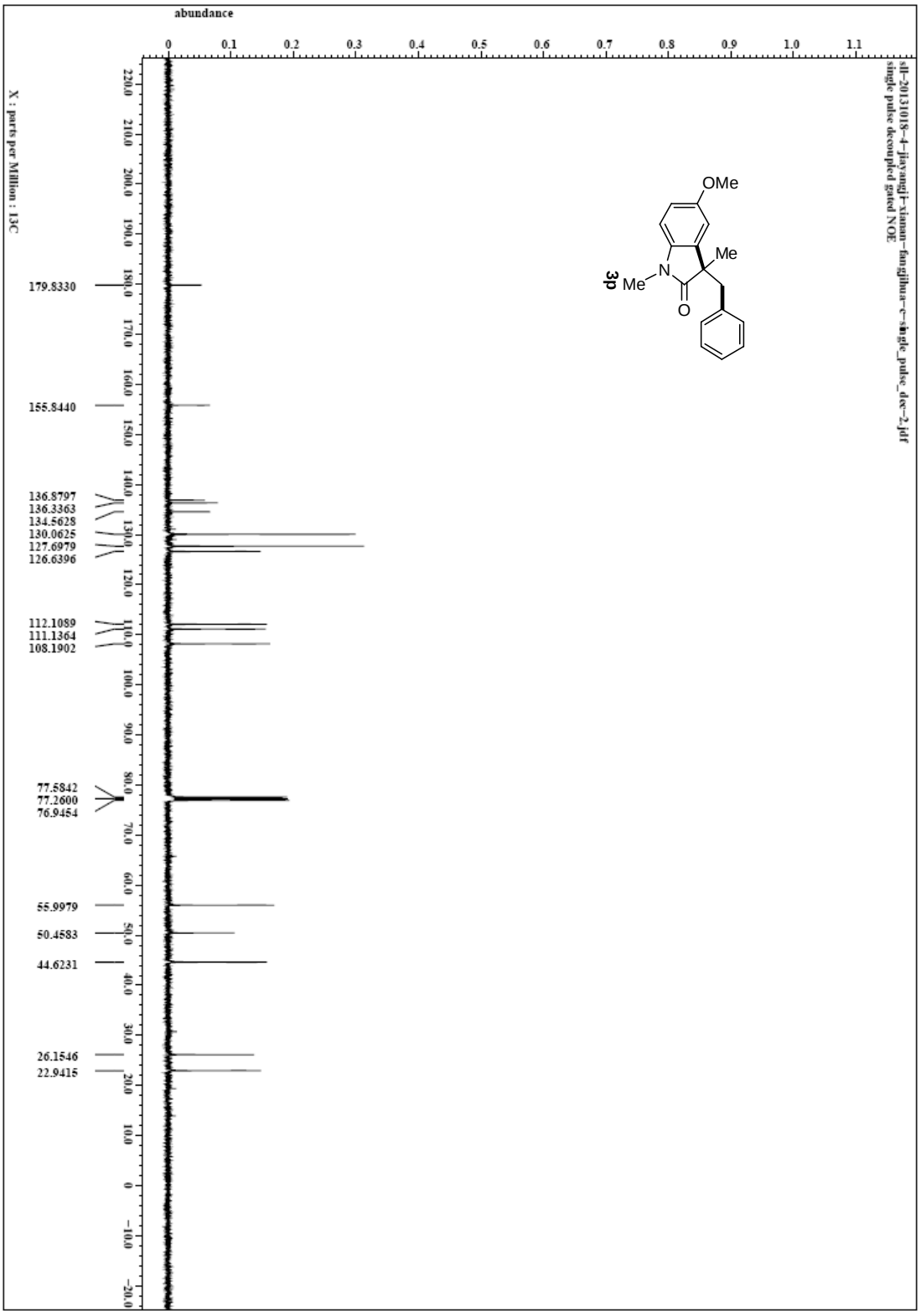

abundance
X : parts per Million : 1H
sll-20131018-4-duduingji-xianair-fangjihua-c-1l-singk_pulse-2.jdf
single_pulse
3n
Me
N
Me
Me
O
7.0780
7.0746
7.0608
7.0390
7.0345
6.8684
6.5671
0.98
4.05
1.98
1.0
3.0092
3.0092
5.02
1.4571
1.3001
3.0
9
-0.0000

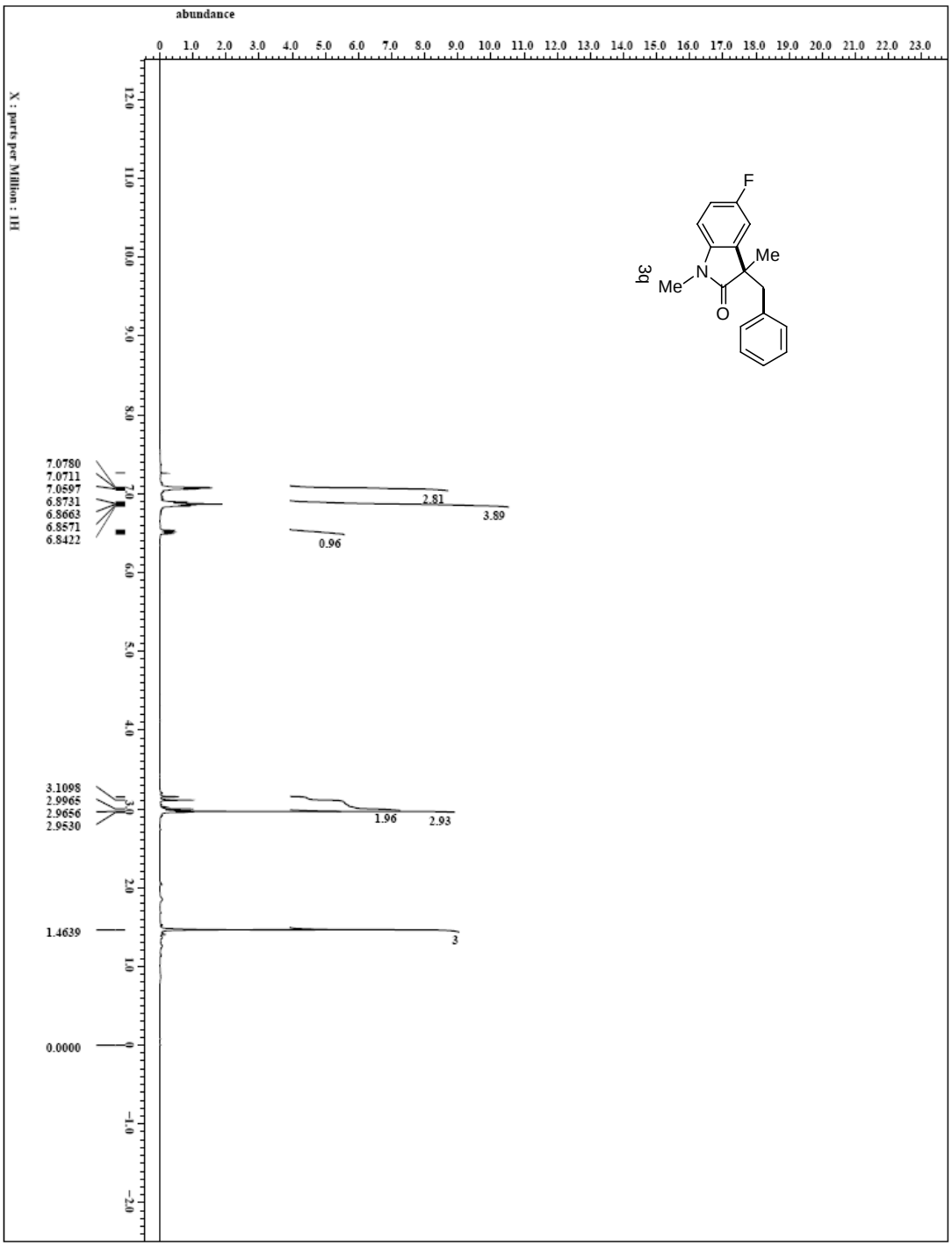

abundance
X : parts per Million : 13C
sll-20131018-4-shudingji-xianan-fangjihua-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE
3n
Me
N
O
Me
180.4718
145.2797
140.8175
136.4888
132.7322
130.2532
127.6312
126.6110
124.2083
121.1954
107.2463
77.5842
77.2600
76.9454
50.0197
44.5945
34.6786
31.7514
26.1356
22.5792

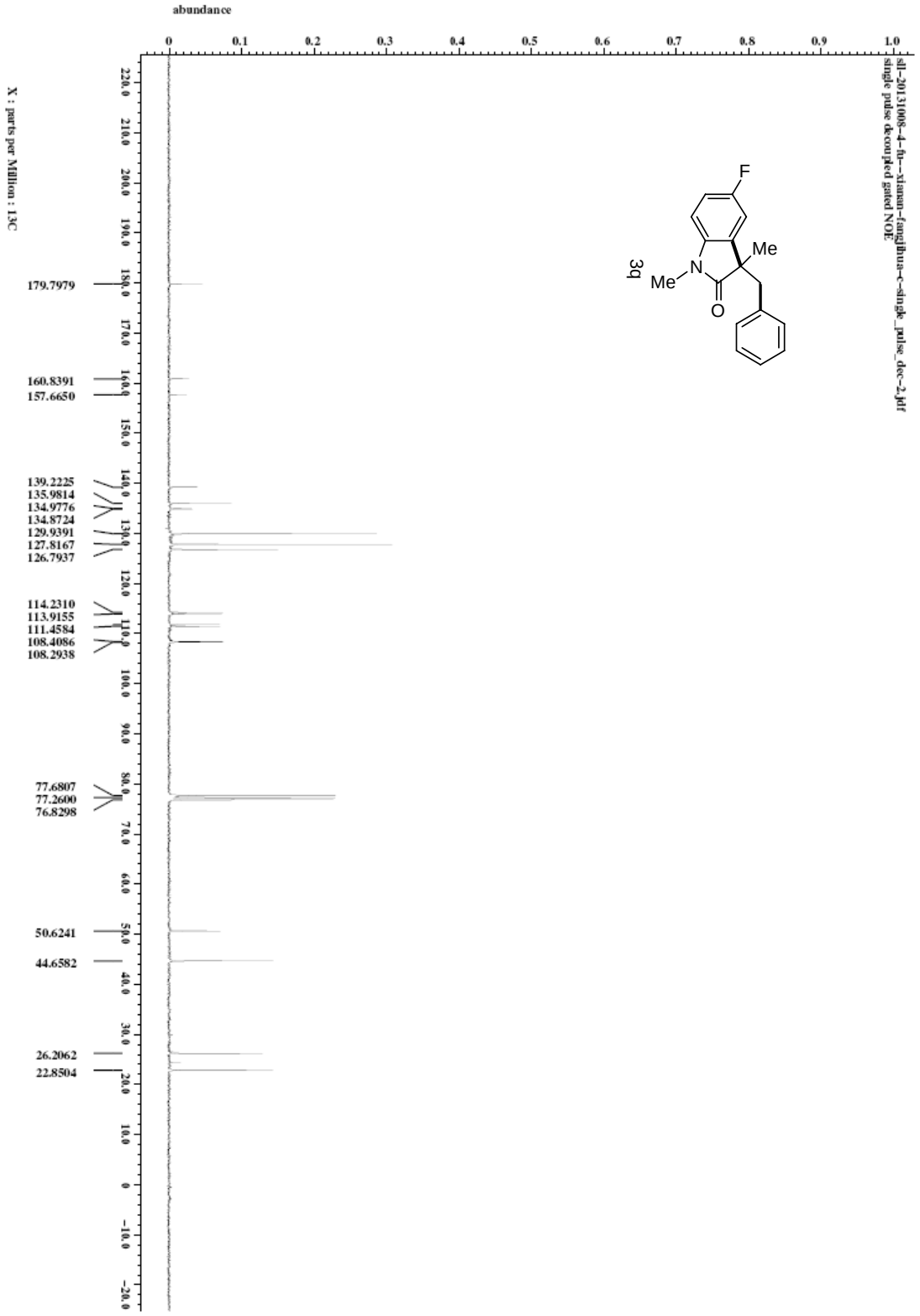

abundance
0
10.0
20.0
30.0
X : parts per Million : 1H
sll-20131121-duishudingji-dufuguojiadian-fangjihua-H-single_pulse-2.jdf
single_pulse
Me
Me
N
O
F
7.1020
7.0975
6.7882
6.7733
6.7515
6.7298
6.5705
1.01
0.94
3.94
1.05
3.0356
2.9989
2.9851
5.01
1.4582
1.3185
9.02
−0.0000

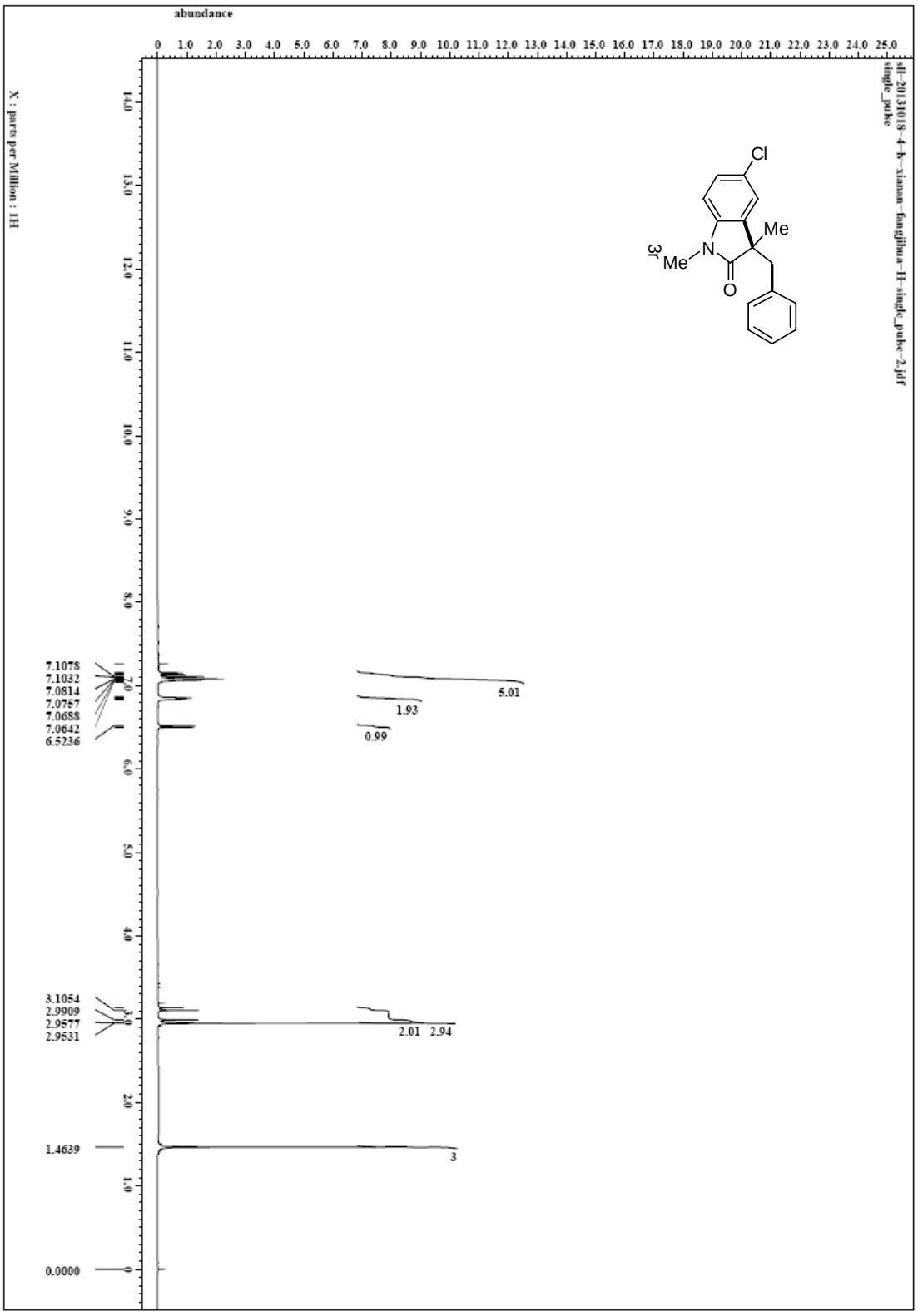

abundance
X : parts per Million : 13C
sh-20131121-huishudingji-huifuguojiedian-fangjihuo-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE
180.2240
163.0712
160.6399
145.5085
140.9033
132.5892
132.2650
131.5785
131.4927
124.4085
120.9093
114.5593
114.3495
107.4083
77.5842
77.2600
76.9454
50.2104
43.8890
34.7453
31.8086
26.1546
22.6841
Me
N
Me
O
Me
F

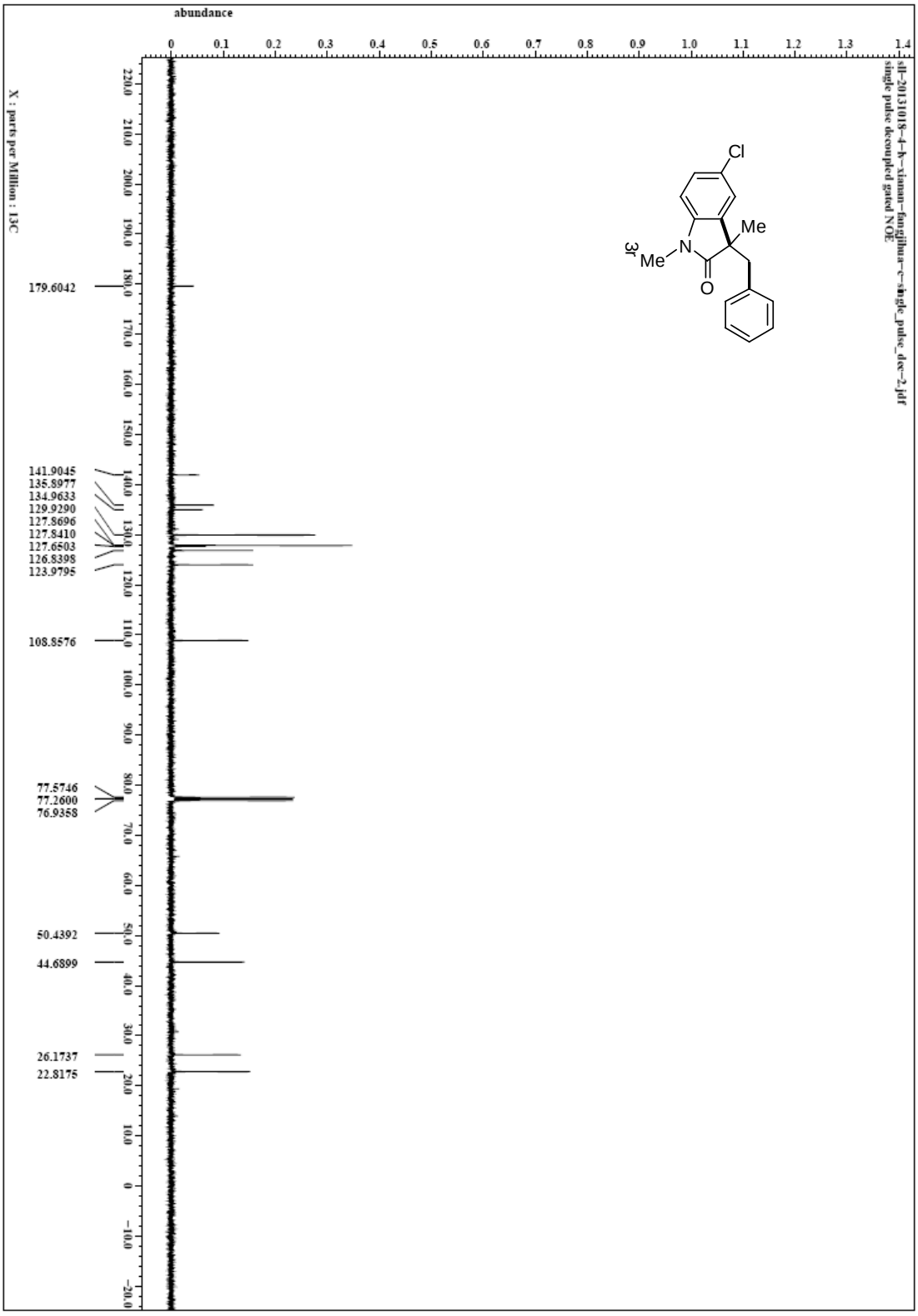

abundance
X : parts per Million : 1H
sjl-20131018-4-jiayangji-xianan-fangjihua-1H-single_pulse-2.jdf
single_pulse
OMe
Me
N
Me
O
3d
7.0768
7.0711
7.0654
7.0597
6.8855
6.7149
6.7103
3.0
2.0
1.99
0.99
3.7629
2.9
3.0722
3.0012
2.9622
2.07
3.05
1.4490
3.0
0.0000

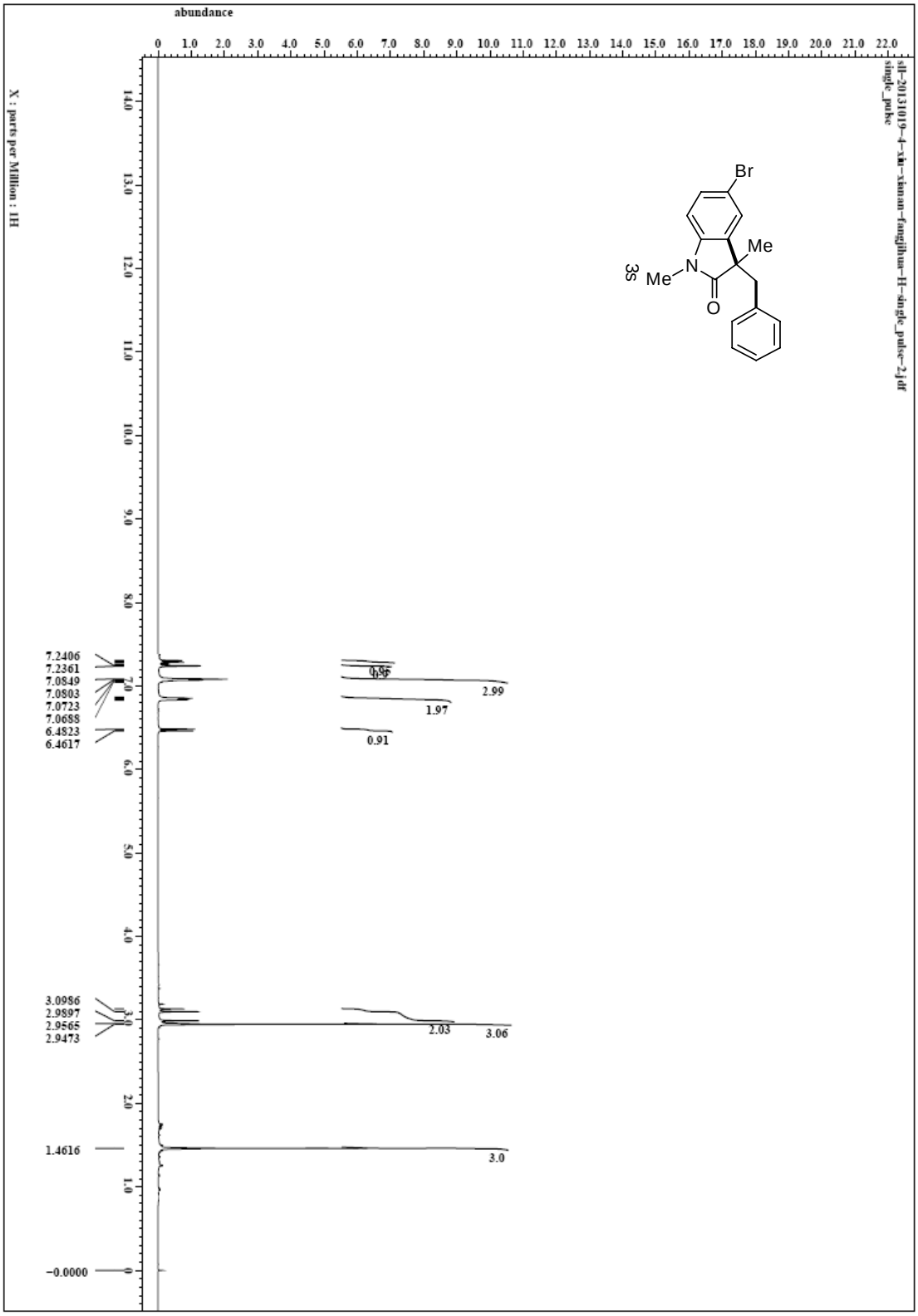

abundance
X : parts per Million : 13C
sll-20131018-4-jiayangji-xianan-fangjihua-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE
OMe
Me
N
Me
O
3p
179.8330
155.8440
136.8797
136.3363
134.5628
130.0625
127.6979
126.6396
112.1089
111.1364
108.1902
77.5842
77.2600
76.9454
55.9979
50.4583
44.6231
26.1546
22.9415

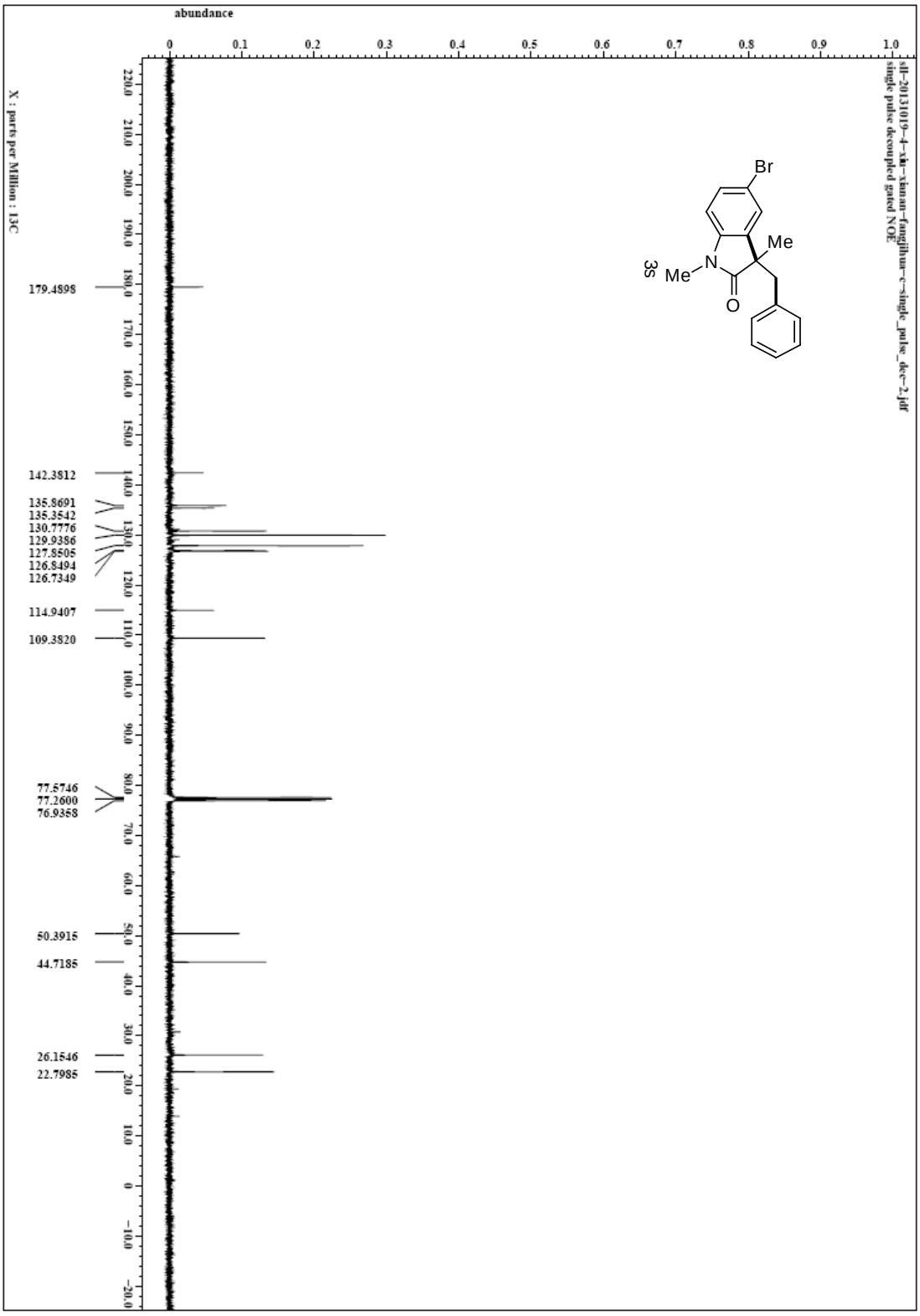

abundance
X : parts per Million : 1H
7.0780
7.0711
7.0597
6.8731
6.8663
6.8571
6.8422
2.81
3.89
0.96
3.1098
2.9965
2.9656
2.9530
1.96
2.93
1.4639
3
0.0000
F
Me
N
Me
O
3q

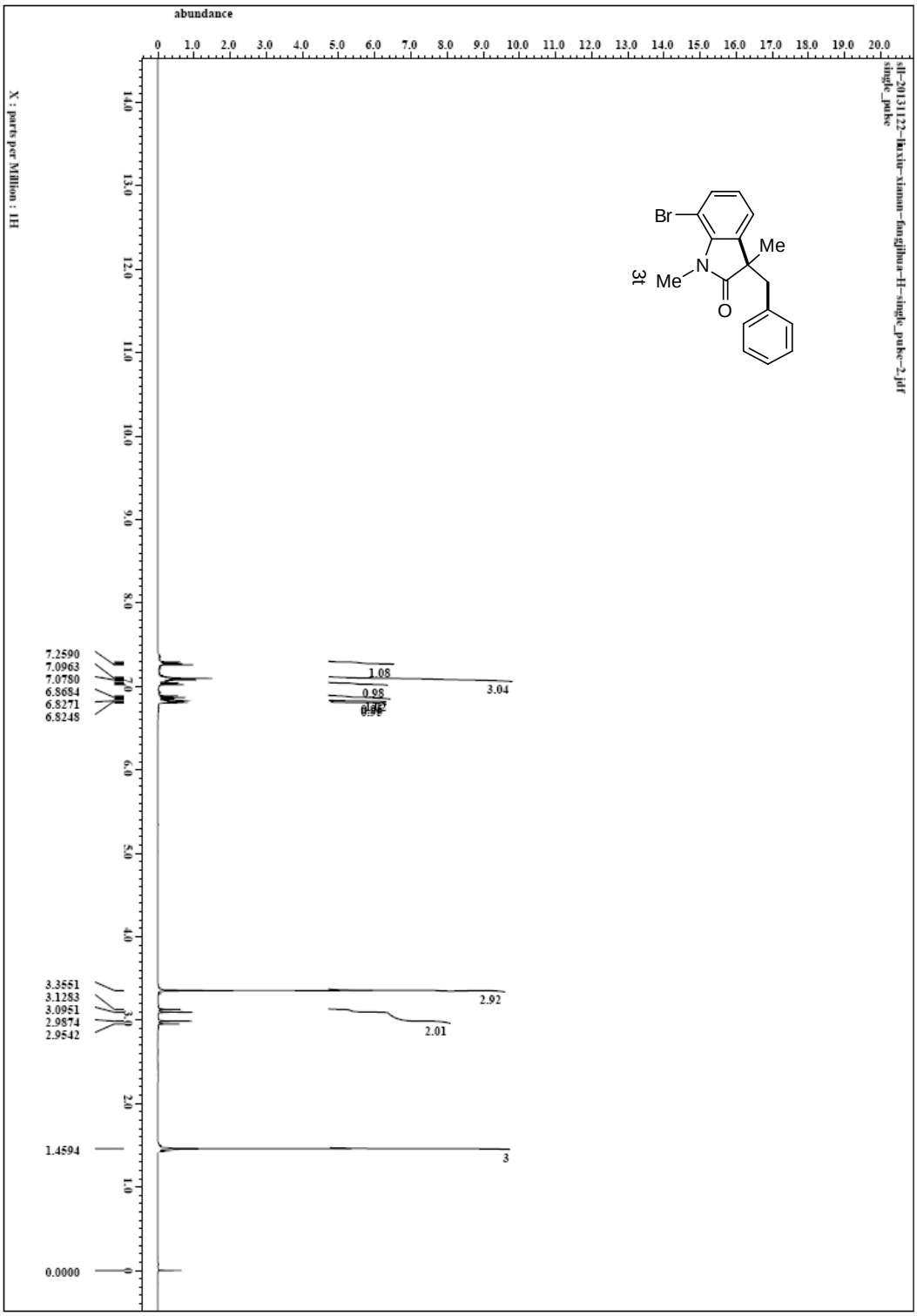

abundance
0
0.1
0.2
0.3
0.4
0.5
0.6
0.7
0.8
0.9
1.0
sll-20131008-4--fu--xiaoan-fangjihua-c--single_pulse_dec--2.jdf
single pulse decoupled gated NOE
X : parts per Million : 13C
179.7979
160.8391
157.6650
139.2225
135.9814
134.9776
134.8724
129.9391
127.8167
126.7937
114.2310
113.9155
111.4584
108.4086
108.2938
77.6807
77.2600
76.8298
50.6241
44.6582
26.2062
22.8504
F
Me
N
Me
O
3q

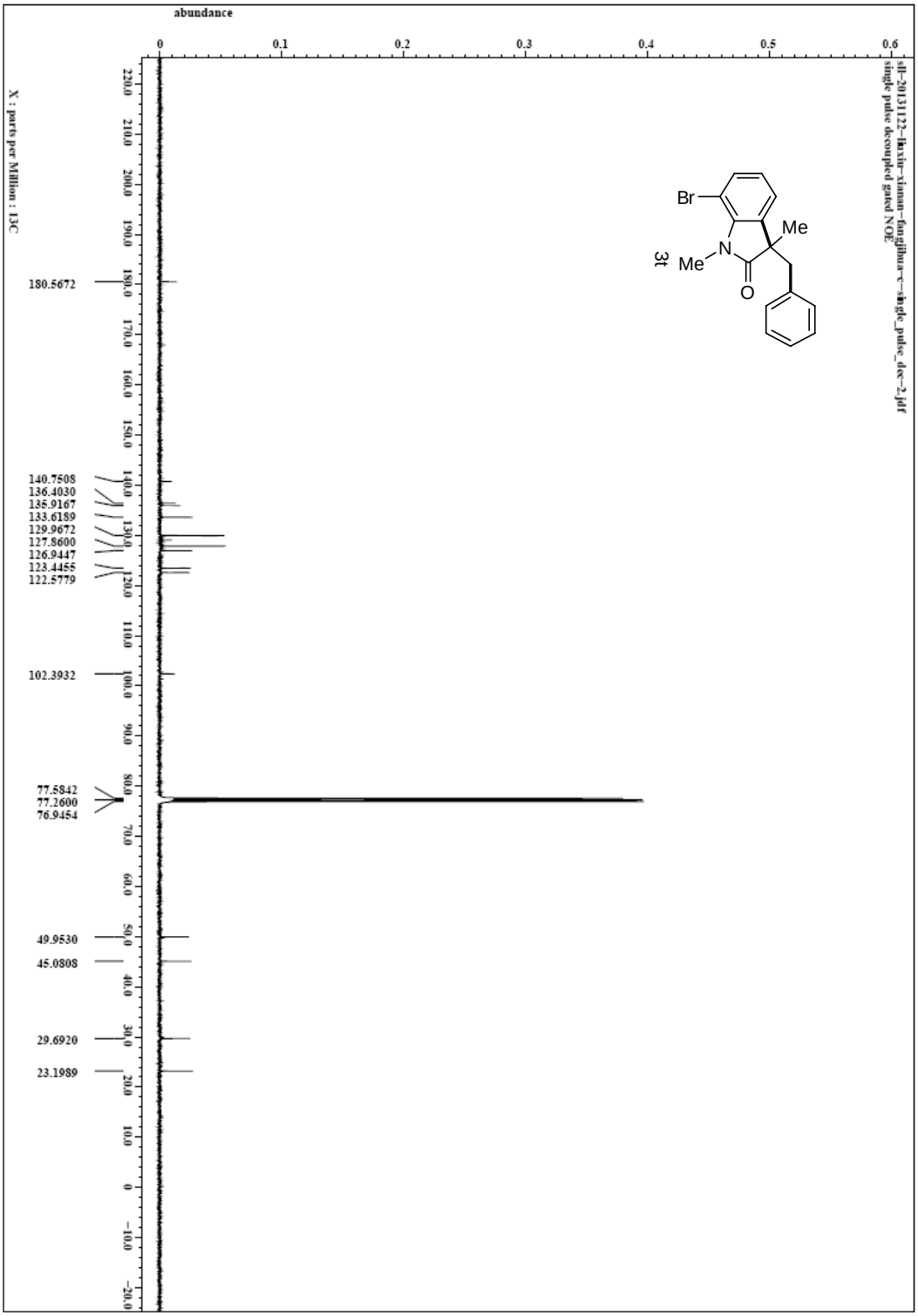

abundance
X : parts per Million : 1H
sjl-20131018-4-b-xianan-fangjihua-1H-single_pulse-2.jdf
single_pulse
Cl
Me
N
Me
O
7.1078
7.1032
7.0814
7.0757
7.0688
7.0642
6.5236
5.01
1.93
0.99
3.1054
2.9909
2.9577
2.9531
2.01
2.94
1.4639
3
0.0000

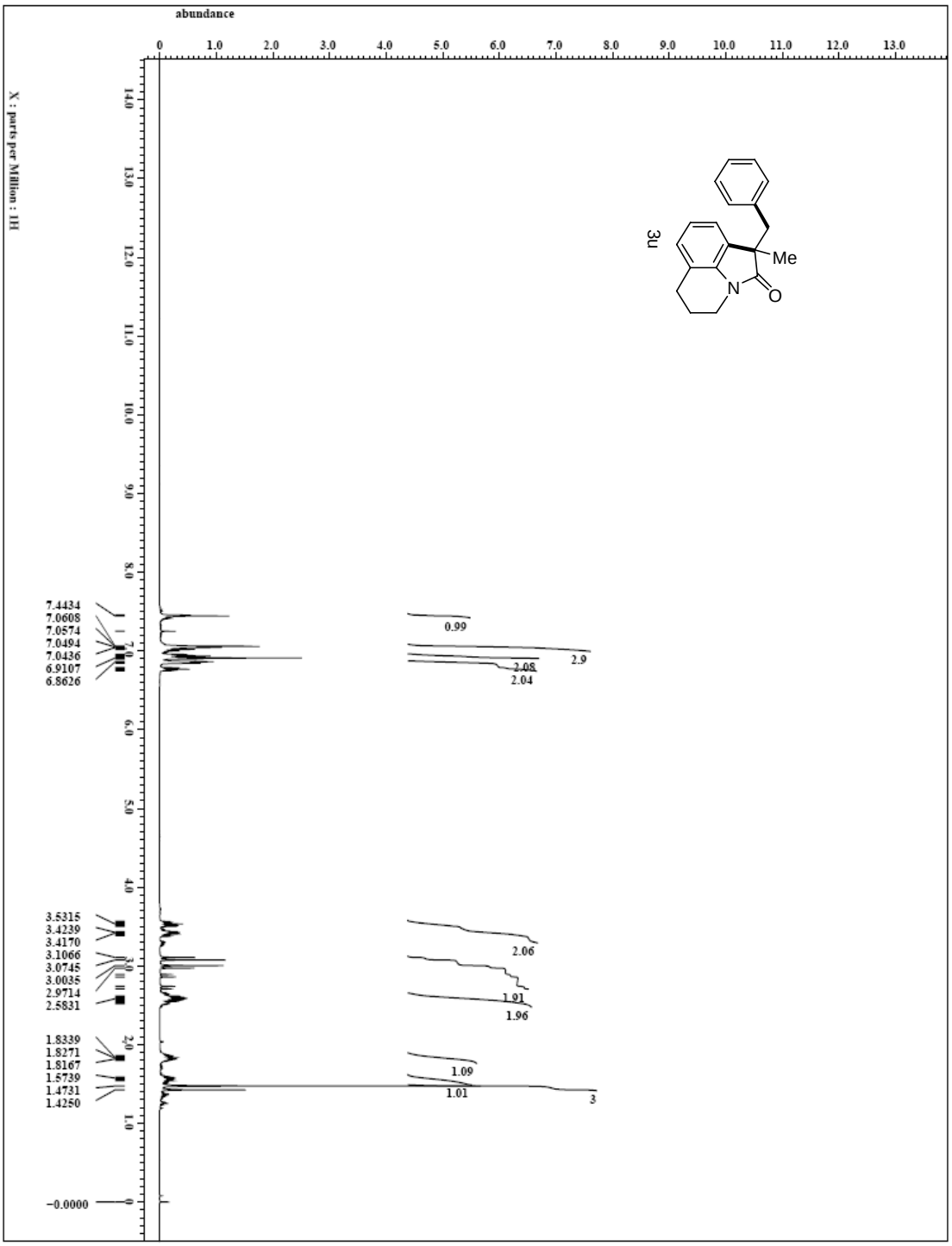

abundance
X : parts per Million : 13C
179.6042
141.9045
135.8977
134.9633
129.9290
127.8696
127.8410
127.6503
126.8398
123.9795
108.8576
77.5746
77.2600
76.9358
50.4392
44.6899
26.1737
22.8175
Cl
Me
N
Me
O
sjf-20131018-4-b-xianan-fangjihua-c-single_pulse_dec-2.jdf
single pulse decoupled gated NOE

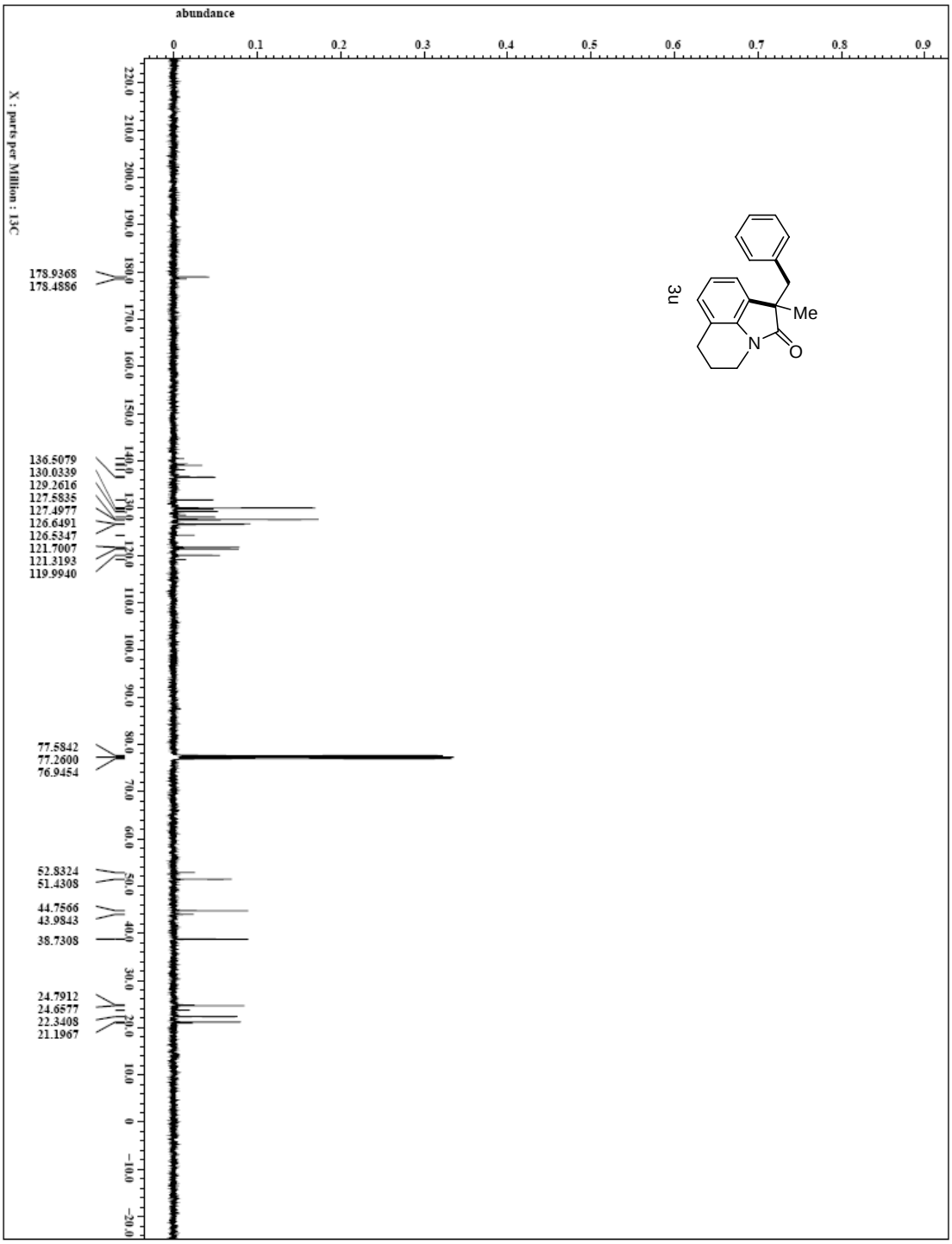

abundance
X : parts per Million : 1H
sll-20131019-4-xin-xinnan-fangjihua-1H-single_pulse-2.jdf
single_pulse
Br
Me
N
Me
O
7.2406
7.2361
7.0849
7.0803
7.0723
7.0688
6.4823
6.4617
2.99
1.97
0.91
3.0986
2.9897
2.9565
2.9473
2.03
3.06
1.4616
3.0
−0.0000

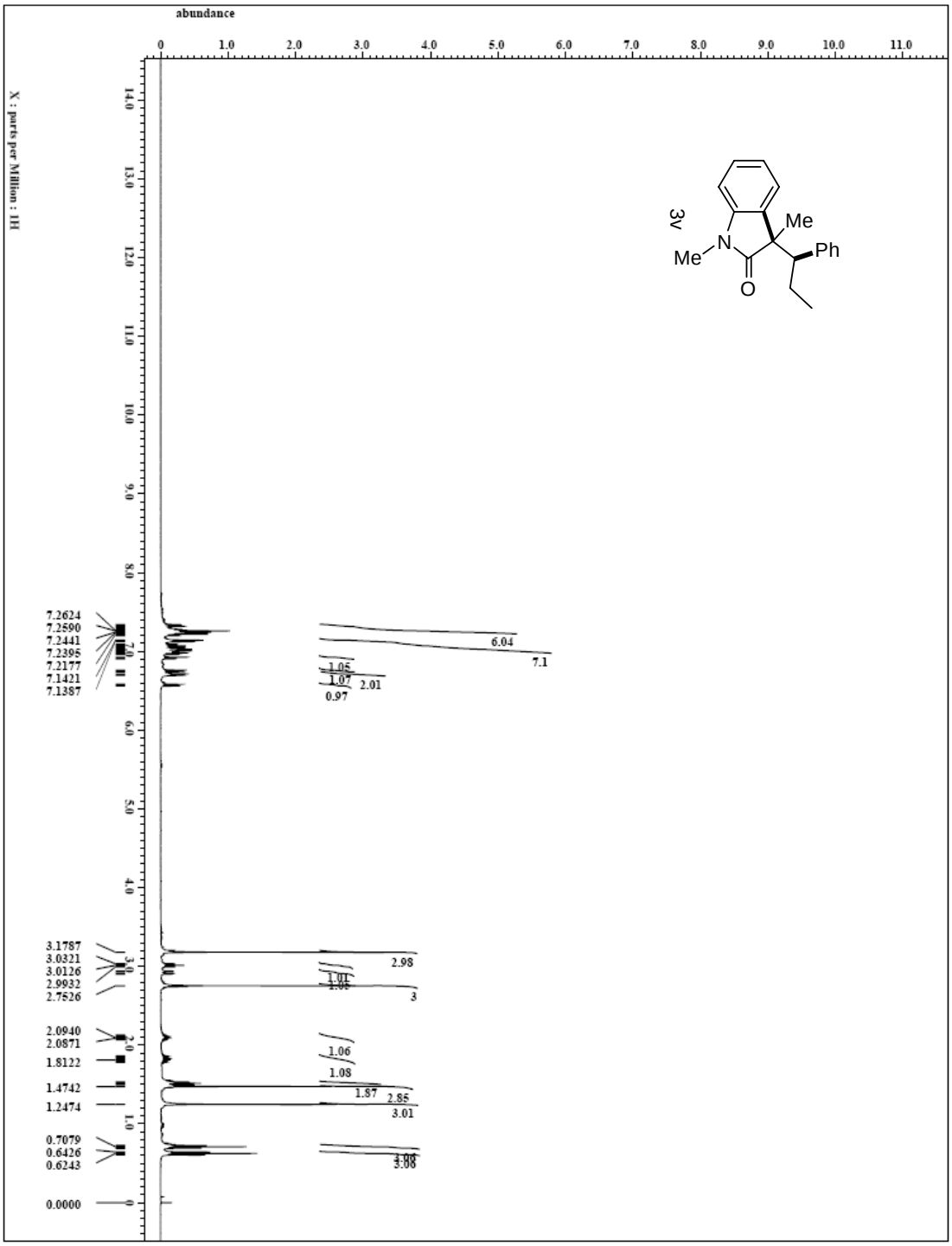

abundance
X : parts per Million : 13C
sll-20131019-4-xia-xiaoan-fangjihua-c-single_pulse_dec-2.jpf
single pulse decoupled gated NOE
Br
Me
N
Me
O
179.4898
142.3812
135.8691
135.3542
130.7776
129.9386
127.8505
126.8494
126.7349
114.9407
109.3820
77.5746
77.2600
76.9368
50.3915
44.7185
26.1546
22.7985

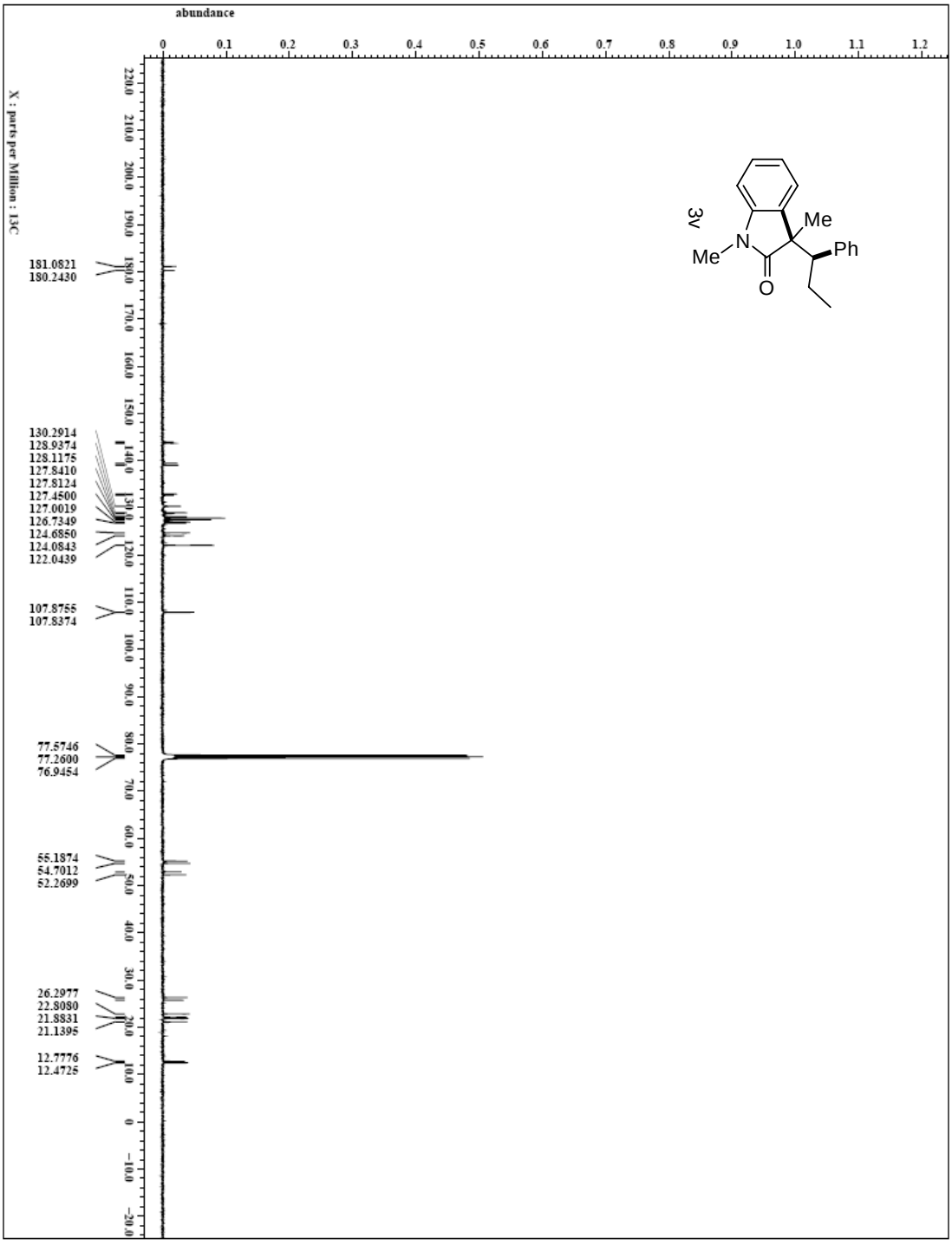

abundance
X : parts per Million : 1H
sjl-20131122-laoxiu-xianan-fangjihua-1H-single_pulse-2.jdf
single_pulse
Br
Me
N
Me
O
3t
7.2590
7.0963
7.0780
6.8684
6.8271
6.8248
3.3551
3.1283
3.0951
2.9874
2.9542
1.4594
0.0000
1.08
3.04
2.92
2.01
3

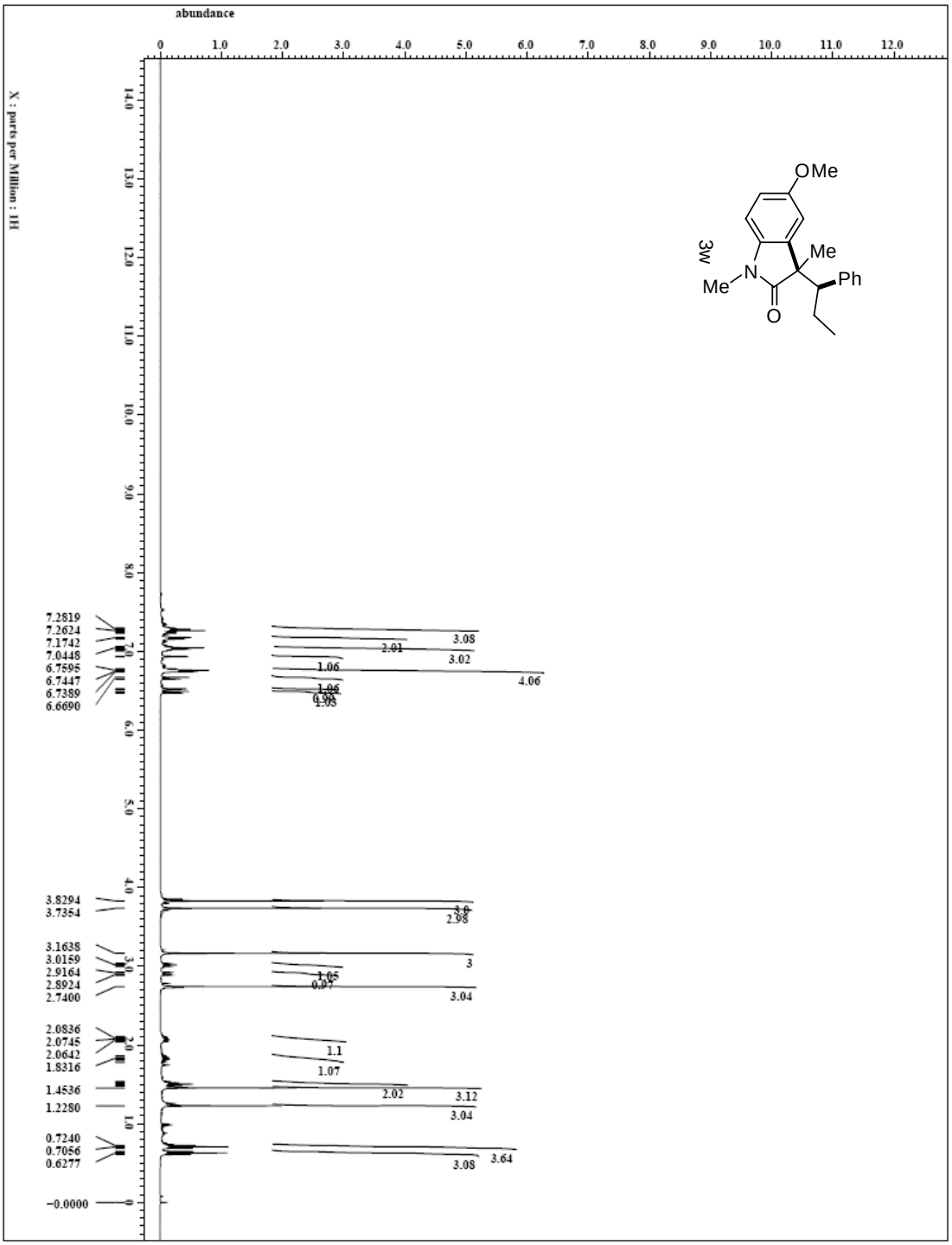

abundance
0
0.1
0.2
0.3
0.4
0.5
0.6
X : parts per Million : 13C
180.5672
140.7508
136.4030
135.9167
133.6189
129.9672
127.8600
126.9447
123.4455
122.5779
102.3932
77.5842
77.2600
76.9454
49.9530
45.0808
29.6920
23.1989
Br
Me
N
Me
O
3t

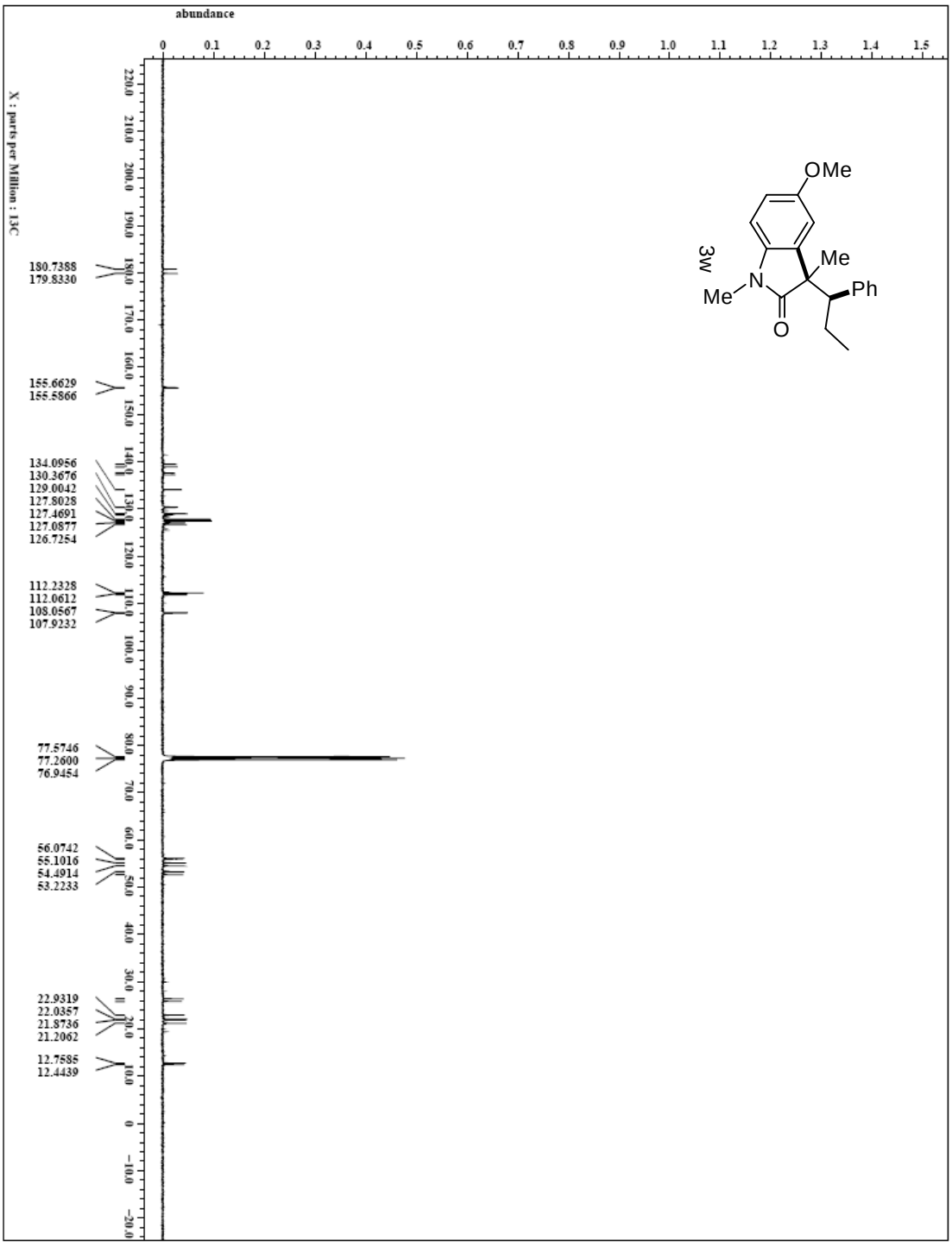

abundance
X : parts per Million : 1H
3u
Me
N
O
7.4434
7.0608
7.0574
7.0494
7.0436
6.9107
6.8626
3.5315
3.4239
3.4170
3.1066
3.0745
3.0035
2.9714
2.5831
1.8339
1.8271
1.8167
1.5739
1.4731
1.4250
−0.0000
0.99
2.9
2.08
2.04
2.06
1.91
1.96
1.09
1.01
3


abundance
0
0.1
0.2
0.3
0.4
0.5
0.6
0.7
0.8
0.9
X : parts per Million : 13C
178.9368
178.4886
136.5079
130.0339
129.2616
127.5835
127.4977
126.6491
126.5347
121.7007
121.3193
119.9940
77.5842
77.2600
76.9454
52.8324
51.4308
44.7566
43.9843
38.7308
24.7912
24.6577
22.3408
21.1967
3u
Me
N
O


abundance
X : parts per Million : 1H
3v
Me
N
Me
Ph
O
7.2624
7.2590
7.2441
7.2395
7.2177
7.1421
7.1387
6.04
7.1
1.05
1.07
2.01
0.97
3.1787
3.0321
3.0126
2.9932
2.7526
2.98
3
2.0940
2.0871
1.8122
1.06
1.08
1.4742
1.87
2.85
1.2474
3.01
0.7079
0.6426
0.6243
0.0000


abundance
X : parts per Million : 13C
181.0821
180.2430
130.2914
128.9374
128.1175
127.8410
127.8124
127.4500
127.0019
126.7349
124.6850
124.0843
122.0439
107.8755
107.8374
77.5746
77.2600
76.9454
55.1874
54.7012
52.2699
26.2977
22.8080
21.8831
21.1395
12.7776
12.4725
3v
Me
N
Me
Ph
O


abundance
X : parts per Million : 1H
3w
OMe
Me
N
Me
Ph
O


abundance
X : parts per Million : 13C
180.7388
179.8330
155.6629
155.5866
134.0956
130.3676
129.0042
127.8028
127.4691
127.0877
126.7254
112.2328
112.0612
108.0567
107.9232
77.5746
77.2600
76.9454
56.0742
55.1016
54.4914
53.2233
22.9319
22.0357
21.8736
21.2062
12.7585
12.4439
OMe
Me
3w
N
Me
Ph
O