

Supporting Information

Palladium Catalyzed unactivated β -methylene C(sp³)-H Bond Alkenylation of Aliphatic Amides

Gang Shan^{a‡}, Guiyi Huang^{a,b‡}, and Yu Rao^{a,*}

^aMOE Key Laboratory of Protein Sciences, Department of Pharmacology and Pharmaceutical Sciences, School of Medicine and School of Life Sciences, Tsinghua University, Beijing 100084, China

^bShenyang Pharmaceutical University, Shenyang 110016, China

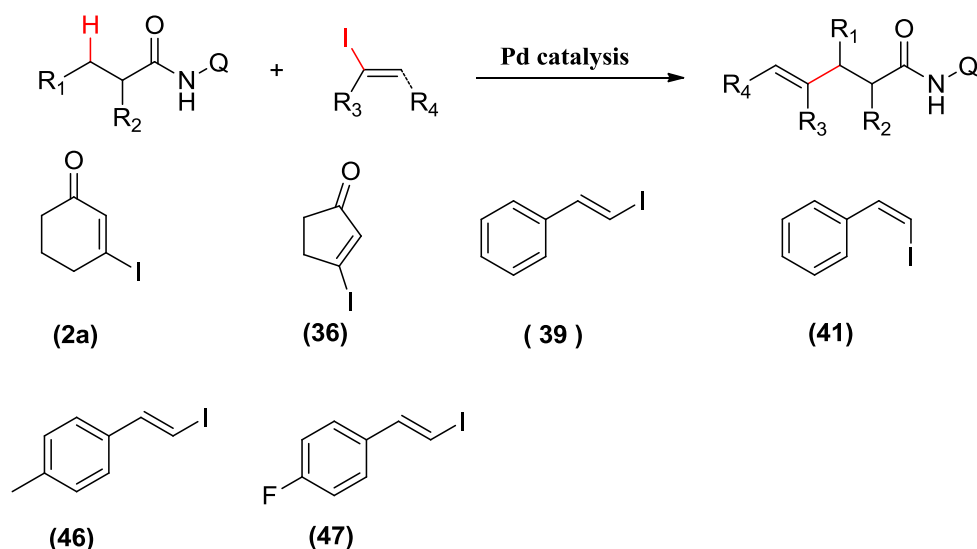
yrao@mail.tsinghua.edu.cn

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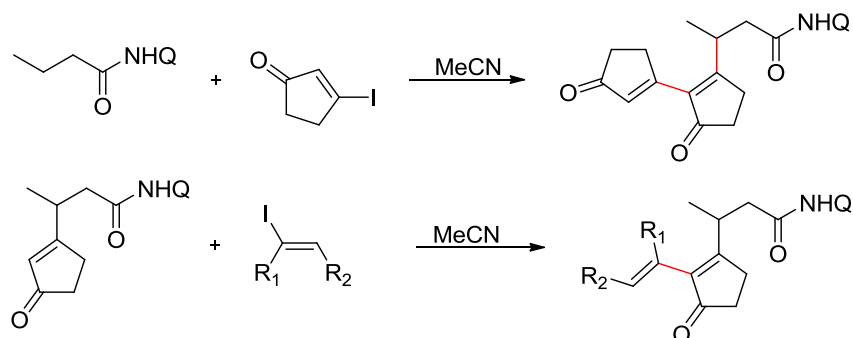
Materials and Methods

All commercial materials (Alfa Aesar, Aladdin, J&K Chemical LTD.) were used without further purification. All solvents were analytical grade. The ^1H -NMR and ^{13}C -NMR spectra were recorded on a Bruker 400 MHz spectrometer in CDCl_3 using TMS or solvent peak as a standard. All ^{13}C -NMR spectra were recorded with complete proton decoupling. Low-resolution mass spectral analyses were performed with a Waters AQUITY UPLCTM/MS. All reactions were carried out in oven-dried sealed tube. Analytical TLC was performed on Yantai Chemical Industry Research Institute silica gel 60 F254 plates and flash column chromatography was performed on Qingdao Haiyang Chemical Co. Ltd silica gel 60 (200-300 mesh). The rotavapor was BUCHI's Rotavapor R-3. Amides were easily synthesized from acyl chlorides and 8-aminoquinoline.

I General procedure for Pd-catalyzed C-H alkenylation reactions

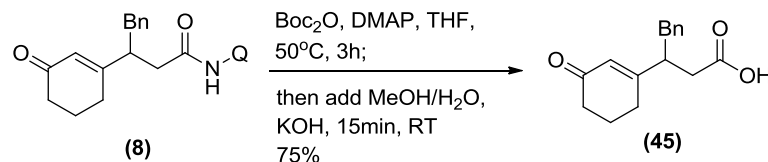


To a 15ml sealed-tube were added amide (1.0 equiv), Ag_2CO_3 (1.0 equiv), $\text{Pd}(\text{OAc})_2$ (0.01 equiv), and PhMe (2 ml). The tube was sealed and heated at 110°C for 5-12 h. The reaction was monitored by TLC (Petroleum ether: Ethyl acetate = 4:1) and LC-MS. The reaction mixture was cooled to RT, dichloromethane was added to dilute the reaction mixture and saturated aqueous NaHCO_3 was added to wash the reaction mixture. Then the organic layer was dried over anhydrous Na_2SO_4 and concentrated on rotavapor under reduced pressure. Finally, the residue was purified by silica gel column chromatography to give the desired product.



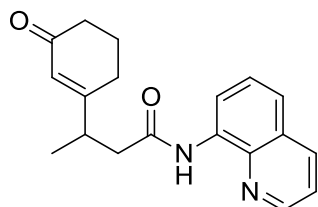
For sequential C(sp³)-H bond alkenylation and C(sp²)-H bond alkenylation, MeCN was used as solvent.

II General procedure for deprotection



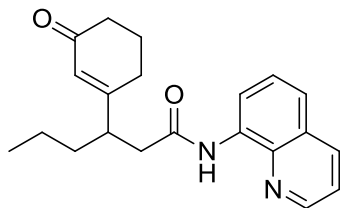
Compound **(8)** (150 mg, 0.39 mmol, 1 eq), Boc₂O (900 mg, 3.90 mmol, 10 eq), DMAP (95 mg, 0.78 mmol, 2 eq) were mixed with 3 mL of THF. The resulting mixture was heated at 50 °C for 3h. Then, KOH (224 mg, 4.00mmol, 10eq) in 3ml H₂O and 3ml MeOH was added to the reaction mixture at rt, stirred for 15min. The reaction mixture was neutralized with HCl, extract with EtOAc, dried over anhydrous Na₂SO₄, concentrated on rotavapor under reduced pressure and purified by silica gel column chromatography to give the corresponding acid **(45)** (75 mg) in 75% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.92 (brs, 1H), 7.28-7.11 (m, 5H), 5.87 (s, 1H), 3.01-2.99 (m, 1H), 2.76-1.87 (m, 10H); ¹³C-NMR (100 MHz, CDCl₃) δ (ppm) 200.3, 176.8, 167.5, 138.4, 129.1, 128.7, 126.8, 126.4, 45.2, 40.2, 37.5, 28.7, 22.6; HRMS (ESI) calcd for C₁₆H₁₇O₃ [M-H]⁻: 257.13, found 257.10

Data of products



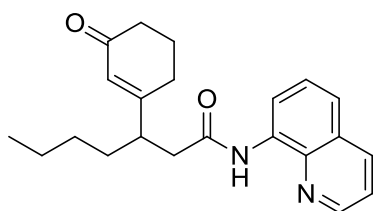
3-(3-Oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)butanamide (**3**)

Following the general procedure **I**, amide (42.8 mg, 0.2 mmol), vinyl iodide **(2a)** (66.6 mg, 0.30 mmol), Ag₂CO₃ (55.15 mg, 0.2 mmol), Pd(OAc)₂ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound **(3)** (50mg) were isolated in 82% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.80 (s, 1H), 8.78-8.77 (m, 1H), 8.73-8.71 (m, 1H), 8.16-8.13 (m, 1H), 7.54-7.43 (m, 3H), 5.99 (s, 1H), 3.05-2.96 (m, 1H), 2.77 (dd, *J* = 6.92 Hz, *J* = 14.76 Hz, 1H), 2.59 (dd, *J* = 7.56 Hz, *J* = 14.76 Hz, 1H), 2.43-2.40 (m, 2H), 2.37-2.33 (m, 2H), 2.02-1.95 (m, 2H), 1.24 (d, *J* = 6.88 Hz, 3H); ¹³C-NMR (100 MHz, CDCl₃) δ (ppm) 200.0, 169.5, 169.2, 148.3, 138.4, 136.5, 134.3, 128.0, 127.4, 125.0, 121.8, 116.6, 43.4, 38.2, 37.6, 28.4, 23.0, 19.1; HRMS (ESI) calcd for C₁₉H₂₁N₂O₂ [M+H]⁺: 309.15 found 309.45



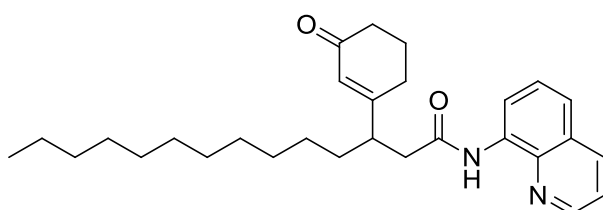
3-(3-Oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)hexanamide (**4**)

Following the general procedure **I**, amide (48.4 mg, 0.2 mmol), vinyl iodide (**2a**) (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**4**) (51.4mg) were isolated in 77% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.77 (s, 1H), 8.78-8.77 (m, 1H), 8.72-8.70 (m, 1H), 8.16-8.13 (m, 1H), 7.53-7.26 (m, 3H), 6.00 (s, 1H), 2.94-2.87 (m, 1H), 2.69 (d, J = 7.48, 1H), 2.40-2.37 (m, 2H), 2.35-2.32 (m, 2H), 2.00-1.93 (m, 2H), 1.60-1.53 (m, 2H), 1.34-1.30 (m, 2H), 0.91 (t, J = 7.24 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 200.0, 170.0, 168.0, 148.3, 138.4, 136.5, 134.3, 128.0, 127.5, 126.6, 121.8, 116.6, 44.0, 42.5, 37.7, 35.8, 28.2, 22.9, 20.6, 14.1; HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{25}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 337.18 found 337.49



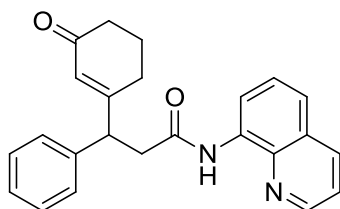
3-(3-Oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)heptanamide (**5**)

Following the general procedure **I**, amide (51.2 mg, 0.2 mmol), vinyl iodide (**2a**) (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**5**) (50.2 mg) were isolated in 72% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.77 (s, 1H), 8.78-8.76 (m, 1H), 8.71-8.69 (m, 1H), 8.15-8.12 (m, 1H), 7.51-7.42 (m, 3H), 2.90-2.70 (m, 1H), 2.69 (d, J = 6.64 Hz, 2H), 2.40-2.31 (m, 2H), 1.99-1.94 (m, 2H), 1.61-1.54 (m, 2H), 1.33-1.23 (m, 2H), 0.89 (t, J = 5.44 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 199.9, 159.6, 148.3, 138.4, 136.5, 134.3, 128.1, 127.5, 126.6, 121.8, 116.6, 44.2, 42.5, 37.7, 33.3, 29.6, 28.2, 22.9, 22.7, 14.0; HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{27}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 351.20 found 351.48



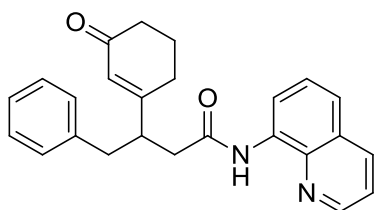
3-(3-Oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)tetradecanamide (**6**)

Following the general procedure **I**, amide (70.8 mg, 0.2 mmol), vinyl iodide (**2a**) (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**6**) (47.0 mg) were isolated in 53% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.77 (s, 1H), 8.78-8.69 (m, 2H), 8.14(d, J = 8.24 Hz, 1H), 7.51-7.42 (m, 3H), 6.00 (s, 1H), 2.90-2.75 (m, 1H), 2.69 (d, J = 6.68 Hz, 2H), 2.40-2.31 (m, 4H), 1.99-1.95 (m, 2H), 1.23 (s, 20H), 0.86(t, J = 6.52 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 200.0, 170.0, 168.1, 148.3, 136.5, 134.32, 128.1, 127.5, 126.6, 121.8, 116.7, 44.2, 42.6, 37.7, 33.7, 32.0, 30.0, 29.73, 29.70, 29.46, 28.2, 27.4, 22.9, 14.3; HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{41}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 449.31 found 449.61



3-(3-Oxocyclohex-1-en-1-yl)-3-phenyl-N-(quinolin-8-yl)propanamide (**7**)

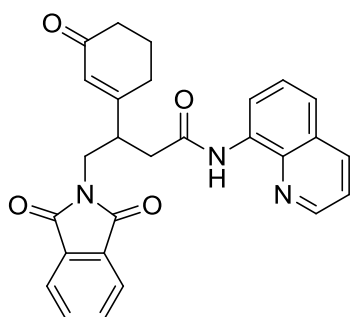
Following the general procedure **I**, amide (55.2 mg, 0.2 mmol), vinyl iodide (**2a**) (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**7**) (15.7 mg) were isolated in 22% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.75 (s, 1H), 8.76-8.75 (m, 1H), 8.68-8.66 (m, 1H), 8.14-8.12 (m, 1H), 7.49-7.21 (m, 8H), 6.15 (s, 1H), 4.22-4.18 (m, 1H), 3.18 (dd, J = 7.8 Hz, J = 15.2 Hz, 1H), 3.01 (dd, J = 7.24 Hz, J = 15.4 Hz, 1H), 2.38-2.21 (m, 4H), 1.97-1.85 (m, 2H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 200.0, 168.9, 166.5, 148.3, 140.5, 138.4, 136.4, 134.3, 129.1, 128.1, 128.0, 121.8, 121.7, 116.7, 48.9, 41.9, 37.6, 29.4, 22.9; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 371.17 found 371.18



3-(3-Oxocyclohex-1-en-1-yl)-4-phenyl-N-(quinolin-8-yl)butanamide (**8**)

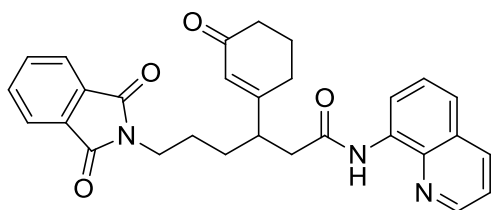
Following the general procedure **I**, amide (58 mg, 0.2 mmol), vinyl iodide (**2a**) (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate =

2:1). Finally, compound **(8)** (49.2 mg) were isolated in 65% yield. $^1\text{H-NMR}$ (400 MHz, CDCl_3) δ (ppm) 9.77 (s, 1H), 8.79-8.78 (m, 1H), 8.70-8.68 (m, 1H), 8.17-8.14 (m, 1H), 7.52-7.44 (m, 3H), 7.30-7.18 (m, 5H), 5.98 (s, 1H), 3.23-3.19 (m, 1H), 2.93 (dd, $J = 6.72$ Hz, $J = 13.5$ Hz, 1H), 2.84 (dd, $J = 8.32$ Hz, $J = 13.5$ Hz, 1H), 2.78-2.76 (m, 2H), 2.45-2.38 (m, 1H), 2.39-2.26 (m, 2H), 2.22-2.15 (m, 1H), 1.90-1.84 (m, 2H); $^{13}\text{C-NMR}$ (100 MHz, CDCl_3) δ (ppm) 199.8, 169.3, 167.8, 148.4, 138.7, 138.4, 136.5, 134.3, 129.2, 128.7, 128.1, 127.5, 126.8, 126.5, 121.8, 116.7, 45.5, 41.7, 40.6, 37.6, 29.6, 22.7; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{25}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 384.18 found 384.46



4-(1,3-Dioxoisindolin-2-yl)-3-(3-oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)butanamide **(9)**

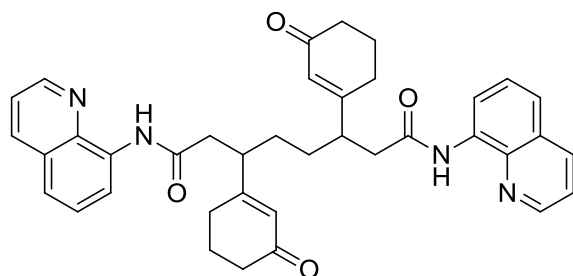
Following the general procedure **I**, amide (71.8 mg, 0.2 mmol), vinyl iodide **(2a)** (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound **(9)** (58.3 mg) were isolated in 64% yield. $^1\text{H-NMR}$ (400 MHz, CDCl_3) δ (ppm) 9.83 (s, 1H), 8.81-8.80 (m, 1H), 8.60-8.57 (m, 1H), 8.15-8.13 (m, 1H), 7.76-7.74 (m, 2H), 7.66-7.64 (m, 2H), 7.48-7.44 (m, 3H), 5.92 (s, 1H), 3.93-3.91 (m, 2H), 3.47-3.43 (m, 1H), 2.86-2.83 (m, 2H), 2.60-2.57 (m, 2H), 2.35-2.32 (m, 2H), 2.05-1.99 (m, 2H); $^{13}\text{C-NMR}$ (100 MHz, CDCl_3) δ (ppm) 209.6, 180.4, 168.4, 168.3, 148.4, 138.4, 136.5, 134.3, 134.1, 131.7, 131.3, 128.0, 127.4, 123.6, 121.9, 121.8, 116.6, 40.4, 39.6, 39.3, 35.4, 30.1, 29.9; HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{24}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 454.17 found 454.49



6-(1,3-Dioxoisindolin-2-yl)-3-(3-oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)hexanamide **(10)**

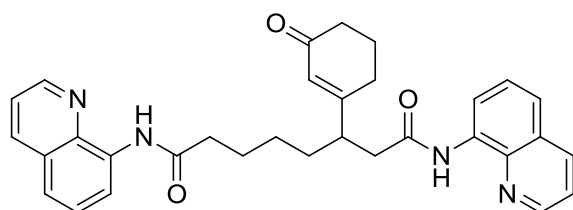
Following the general procedure **I**, amide (77.4 mg, 0.2 mmol), vinyl iodide **(2a)** (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The

residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound **(10)** (62.3 mg) were isolated in 65% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.75 (s, 1H), 8.78-8.66 (m, 1H), 8.66-8.64 (m, 1H), 8.15-8.13 (m, 1H), 7.81-7.79 (m, 2H), 7.70- 7.68 (m, 2H), 7.50-7.42 (m, 3H), 5.98 (s, 1H), 3.70-3.67 (t, 3H), 2.95-2.92 (m, 1H), 2.70 (d, *J* = 7 Hz, 2H), 2.40-2.30 (m, 4H), 1.99-1.94 (m, 2H), 1.70-1.63 (m, 4H); ¹³C-NMR (100 MHz, CDCl₃) δ (ppm) 199.7, 169.1, 168.4, 167.1, 148.3, 138.3, 136.5, 134.2, 134.0, 132.1, 128.0, 127.4, 126.9, 123.3, 121.8, 116.6, 43.7, 42.3, 37.8, 37.7, 30.7, 28.1, 26.5, 22.8; HRMS (ESI) calcd for C₂₉H₂₈N₃O₄ [M+H]⁺: 482.20 found 482.47



3,6-Bis(3-oxocyclohex-1-en-1-yl)-N1,N8-di(quinolin-8-yl)octanediamide (**11a**)

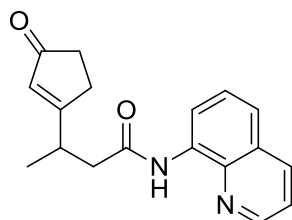
Following the general procedure **I**, amide (83.2 mg, 0.2 mmol), vinyl iodide (**2a**) (88.8 mg, 0.40 mmol), Ag₂CO₃ (110.3 mg, 0.4 mmol), Pd(OAc)₂ (8.96 mg, 0.04 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound **(11a)** (61.4 mg) were isolated in 50% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.76 (s, 2H), 8.76 (d, *J* = 4.2 Hz, 2H), 8.68-8.67 (m, 2H), 8.13 (d, *J* = 8.24 Hz, 2H), 7.49-7.42 (m, 6H), 5.96 (s, 2H), 2.89-2.88 (m, 2H), 2.70-2.88 (m, 4H), 2.36-2.27 (m, 8H), 1.97-1.90 (m, 4H), 1.59-1.53 (m, 4H); ¹³C-NMR (100 MHz, CDCl₃) δ (ppm) 199.6, 169.13, 169.10, 166.79, 166.77, 148.4, 138.4, 136.5, 134.2, 128.1, 127.5, 127.0, 121.9, 121.8, 116.7, 44.2, 44.0, 42.3, 42.2, 37.7, 31.0, 30.9, 28.0, 27.9, 22.9; HRMS (ESI) calcd for C₃₈H₃₉N₄O₄ [M+H]⁺: 615.29 found 615.56



3-(3-Oxocyclohex-1-en-1-yl)-N1,N8-di(quinolin-8-yl)octanediamide (**11b**)

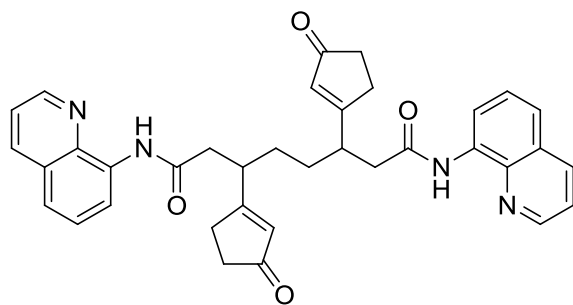
Following the general procedure **I**, amide (83.2 mg, 0.2 mmol), vinyl iodide (**2a**) (88.8 mg, 0.40 mmol), Ag₂CO₃ (110.3 mg, 0.4 mmol), Pd(OAc)₂ (8.96 mg, 0.04 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound **(11b)** (25 mg) were isolated in 24% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.79 (s, 1H), 9.77 (s, 1H), 8.79-8.68 (m, 4H), 8.14-8.12 (d, *J* = 8.24 Hz, 2H), 7.53-7.41 (m, 6H), 6.00 (s, 1H), 2.94-2.90 (m, 1H), 2.72-2.69 (m,

2H), 2.57-2.53 (m, 2H), 2.39-2.37 (m, 2H), 2.31-2.80 (m, 2H), 1.97-1.79 (m, 4H), 1.71-1.64 (m, 2H), 1.48-1.41 (m, 2H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 199.8, 171.5, 169.4, 167.6, 148.35, 148.28, 138.45, 138.40, 136.5, 134.6, 134.3, 128.1, 127.5, 127.46, 126.7, 121.8, 121.7, 121.5, 116.7, 116.6, 44.1, 42.4, 38.0, 37.7, 33.3, 28.1, 27.1, 25.6, 22.9; HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{33}\text{N}_4\text{O}_3$ $[\text{M}+\text{H}]^+$: 521.25 found 521.62



3-(3-Oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)butanamide (**12**)

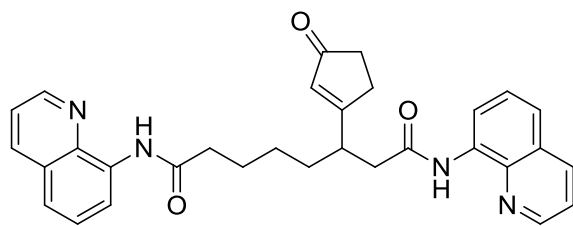
Following the general procedure **I**, amide (42.8 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**12**) (44.1 mg) were isolated in 75% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.82 (s, 1H), 8.80-8.79 (m, 1H), 8.74-8.72 (m, 1H), 8.18-8.15 (m, 1H), 7.53-7.44 (m, 3H), 6.06 (s, 1H), 3.28-3.26 (m, 1H), 2.87 (dd, $J = 6.8$ Hz, $J = 13.7$, 1H), 2.72-2.66 (m, 3H), 2.43-2.41 (m, 2H), 1.32 (d, $J = 6.92$ Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 209.9, 185.6, 169.3, 148.4, 138.4, 136.5, 134.3, 129.0, 128.1, 127.5, 121.9, 121.8, 116.7, 43.4, 35.3, 34.4, 30.0, 19.2; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{19}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 295.14, found 295.41



3,6-Bis(3-Oxocyclopent-1-en-1-yl)-N1,N8-di(quinolin-8-yl)octanediamide (**13a**)

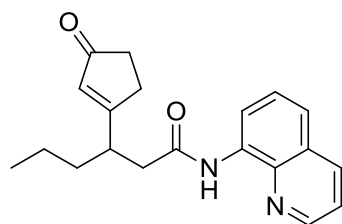
Following the general procedure **I**, amide (83.2 mg, 0.2 mmol), vinyl iodide (**36**) (83.2 mg, 0.40 mmol), Ag_2CO_3 (110.3 mg, 0.4 mmol), $\text{Pd}(\text{OAc})_2$ (8.96 mg, 0.04 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound (**13a**) (60.9 mg) were isolated in 52% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.79 (s, 2H), 8.77-8.76 (m, 2H), 8.68-8.66 (m, 1H), 8.15-8.13 (d, $J = 8.28$ Hz, 6H), 6.05 (s, 2H), 3.23-3.21 (m, 2H), 2.80-2.75 (m, 4H), 2.65 (s, 4H), 2.37-2.35 (m, 4H), 1.72-1.68 (m, 4H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 209.4, 183.2, 168.91, 168.88, 148.41, 138.35, 138.34, 136.5, 134.1, 130.64, 130.62, 128.1, 127.4, 122.0, 121.9, 116.7, 42.0, 41.9, 39.7, 39.6, 35.2, 31.0, 30.9,

29.98, 29.93; HRMS (ESI) calcd for $C_{36}H_{35}N_4O_4$ $[M+H]^+$: 587.26, found 587.53



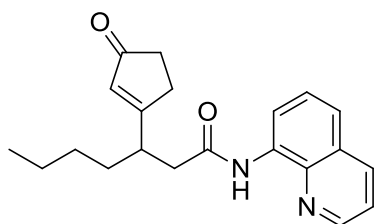
3-(3-Oxocyclopent-1-en-1-yl)-N1,N8-di(quinolin-8-yl)octanediamide (13b)

Following the general procedure **I**, amide (83.2 mg, 0.2 mmol), vinyl iodide (**36**) (83.2 mg, 0.40 mmol), Ag_2CO_3 (110.3 mg, 0.4 mmol), $Pd(OAc)_2$ (8.96 mg, 0.04 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**13b**) (19.2 mg) were isolated in 19% yield. 1H -NMR (400 MHz, $CDCl_3$) δ (ppm) 9.80 (s, 1H), 8.79-8.68 (m, 4H), 8.15-8.13 (m, 2H), 7.54-7.42 (m, 3H), 6.10 (s, 1H), 3.28-3.21 (m, 1H), 2.85-2.74 (m, 2H), 2.70-2.68 (m, 2H), 2.58-2.55 (m, 2H), 2.38-2.36 (m, 2H), 1.88-1.68 (m, 4H), 1.52-1.44 (m, 2H); ^{13}C -NMR (100 MHz, $CDCl_3$) δ (ppm) 209.8, 184.2, 171.4, 171.4, 169.3, 148.4, 148.3, 138.5, 138.45, 138.40, 136.52, 136.50, 134.6, 130.4, 128.1, 127.54, 127.46, 121.89, 121.82, 121.7, 121.6, 116.7, 116.6, 42.3, 39.8, 37.9, 35.3, 33.5, 30.0, 26.9, 25.6; HRMS (ESI) calcd for $C_{31}H_{31}N_4O_3$ $[M+H]^+$: 507.23, found 507.77

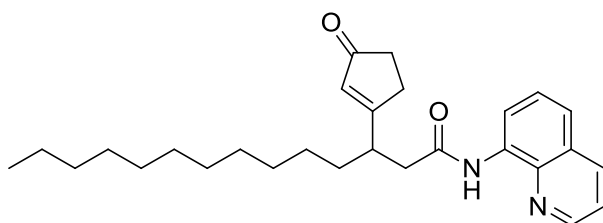


3-(3-oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)hexanamide (14)

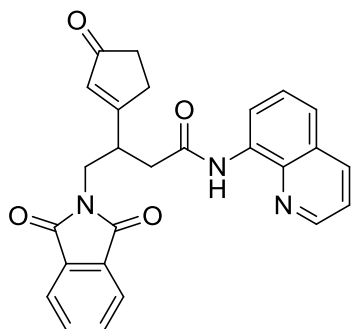
Following the general procedure **I**, amide (51.2 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $Pd(OAc)_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**14**) (53.8 mg) were isolated in 80% yield. 1H -NMR (400 MHz, $CDCl_3$) δ (ppm) 9.79 (s, 1H), 8.80-8.78 (m, 1H), 8.71-8.69 (m, 1H), 8.17-8.15 (m, 1H), 7.52-7.44 (m, 3H), 6.07 (s, 1H), 3.30-3.10 (m, 1H), 2.80-2.77 (m, 2H), 2.71-2.69 (m, 2H), 2.41-3.39 (m, 2H), 1.68-1.64 (m, 2H), 1.34-1.28 (m, 4H), 0.89 (t, J = 6.8 Hz, 3H); ^{13}C -NMR (100 MHz, $CDCl_3$) δ (ppm) 209.8, 184.6, 169.4, 148.4, 138.4, 136.5, 134.2, 130.2, 128.0, 127.5, 121.9, 121.8, 116.7, 42.3, 39.6, 35.9, 35.2, 30.0, 20.4, 14.1; HRMS (ESI) calcd for $C_{21}H_{25}N_2O_2$ $[M+H]^+$: 337.18, found 337.49



- 1 3-(3-Oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)heptanamide (**15**)
- 2 Following the general procedure **I**, amide (51.2 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**15**) (53.8 mg) were isolated in 80% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.79 (s, 1H), 8.80-8.78 (m, 1H), 8.71-8.69 (m, 1H), 8.17-8.15 (m, 1H), 7.52-7.44 (m, 3H), 6.07 (s, 1H), 3.30-3.10 (m, 1H), 2.80-2.77 (m, 2H), 2.71-2.69 (m, 2H), 2.41-3.39 (m, 2H), 1.68-1.64 (m, 2H), 1.34-1.28 (m, 4H), 0.89 (t, $J = 6.8$ Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 209.8, 184.6, 169.4, 148.4, 138.4, 136.5, 134.2, 130.2, 128.0, 127.5, 121.9, 121.8, 116.7, 42.3, 39.6, 35.9, 35.2, 30.0, 20.4, 14.1; HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{25}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 337.18, found 337.49

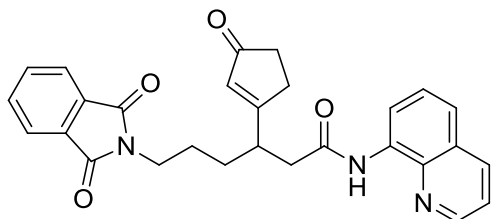


- 3-(3-Oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)tetradecanamide (**16**)
- Following the general procedure **I**, amide (70.8 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**16**) (65.1 mg) were isolated in 75% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.79 (s, 1H), 8.79-8.78 (m, 1H), 8.71-8.69 (m, 1H), 8.16-8.14 (m, 1H), 7.52-7.43 (m, 3H), 6.07 (s, 1H), 3.22-3.18 (m, 1H), 2.78-2.76 (m, 2H), 2.70-2.68 (m, 2H), 2.40-2.38 (m, 2H), 1.27 (s, 20H), 0.87 (t, $J = 6.8\text{Hz}$, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 210.0, 184.8, 169.4, 148.4, 138.4, 136.6, 134.3, 130.3, 128.1, 127.5, 121.9, 121.8, 116.7, 42.3, 39.9, 35.3, 33.8, 32.0, 30.0, 29.73, 29.72, 29.69, 29.59, 22.8, 14.3; HRMS (ESI) calcd for $\text{C}_{28}\text{H}_{39}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 435.29, found 435.57



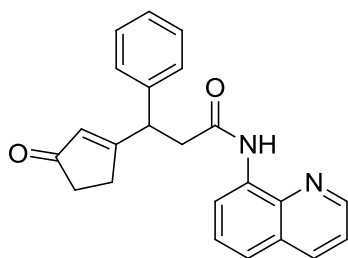
4-(1,3-Dioxoisindolin-2-yl)-3-(3-oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)butanamide (**17**)

Following the general procedure **I**, amide (71.8 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound (**17**) (63.2 mg) were isolated in 72% yield. $^1\text{H-NMR}$ (400 MHz, CDCl_3) δ (ppm) 9.83 (s, 1H), 8.82-8.81 (m, 1H), 8.55 (d, $J = 8.0$ Hz, 1H), 8.24-8.16 (m, 1H), 7.75-7.73 (m, 2H), 7.65-7.63 (m, 2H), 7.49-7.41 (m, 5H), 6.04 (s, 1H), 4.00 (d, $J = 8.0$ Hz, 2H), 3.81-3.74 (m, 1H), 2.98-2.82 (m, 4H), 2.45-2.42 (m, 2H); $^{13}\text{C-NMR}$ (100 MHz, CDCl_3) δ (ppm) 209.6, 180.4, 168.43, 168.38, 148.4, 138.4, 136.5, 134.3, 134.2, 131.8, 131.4, 128.0, 127.4, 123.6, 121.91, 121.86, 116.7, 40.4, 39.6, 39.3, 35.4, 30.1; HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{22}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 440.15, found 440.50



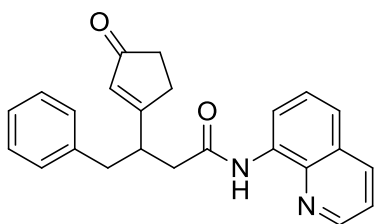
6-(1,3-Dioxoisindolin-2-yl)-3-(3-oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)hexanamide (**18**)

Following the general procedure **I**, amide (77.4 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound (**18**) (79.4 mg) were isolated in 85% yield. $^1\text{H-NMR}$ (400 MHz, CDCl_3) δ (ppm) 9.78 (s, 1H), 8.79-8.64 (m, 2H), 8.15 (d, $J = 7.6$ Hz), 7.82-7.80 (m, 2H), 7.70-7.68 (m, 2H), 7.50-7.44 (m, 3H), 6.06 (s, 1H), 3.71-3.69 (m, 2H), 3.27-3.25 (m, 1H), 2.80-2.69 (m, 4H), 2.40-2.37 (m, 2H), 1.73 (s, 4H); $^{13}\text{C-NMR}$ (100 MHz, CDCl_3) δ (ppm) 183.6, 169.0, 168.5, 148.4, 138.4, 136.5, 134.2, 134.1, 132.2, 130.6, 128.1, 127.5, 123.4, 121.9, 121.85, 116.7, 42.1, 39.4, 37.8, 35.3, 30.9, 30.1, 26.4; HRMS (ESI) calcd for $\text{C}_{28}\text{H}_{26}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 468.18, found 468.48



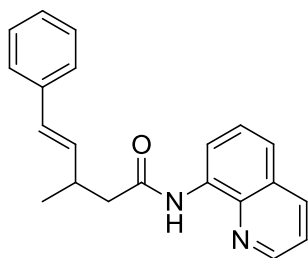
3-(3-Oxocyclopent-1-en-1-yl)-3-phenyl-N-(quinolin-8-yl)propanamide (**19**)

Following the general procedure **I**, amide (**55.2** mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**19**) (22.8 mg) were isolated in 32% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.80 (s, 1H), 8.78-8.76 (m, 1H), 8.69-8.67 (m, 1H), 8.16-8.14 (m, 1H), 7.50-7.43 (m, 3H), 7.33-7.25 (m, 5H), 6.19 (s, 1H), 4.40 (t, $J = 7.4$ Hz, 1H), 3.27 (dd, $J = 8$ Hz, $J = 15.3$ Hz), 3.11 (dd, $J = 6.96$ Hz, $J = 15.3$ Hz, 1H), 3.09-2.60 (m, 2H), 2.45-2.30 (m, 2H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 209.8, 182.9, 148.3, 140.3, 138.4, 136.5, 134.2, 129.3, 129.2, 128.1, 127.70, 127.45, 121.9, 121.8, 116.7, 45.9, 42.8, 35.4, 30.8; HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{21}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 357.15, found 357.43



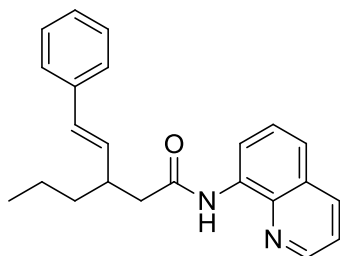
3-(3-Oxocyclopent-1-en-1-yl)-4-phenyl-N-(quinolin-8-yl)butanamide (**20**)

Following the general procedure **I**, amide (**58** mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**20**) (53.4 mg) were isolated in 75% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.75 (s, 1H), 8.77-8.75 (m, 1H), 8.69-8.66 (m, 1H), 8.15-8.13 (m, 1H), 7.50-7.42 (m, 3H), 7.33-7.23 (m, 5H), 6.15 (s, 1H), 4.22-4.18 (m, 1H), 3.18 (dd, $J = 4.24$ Hz, $J = 14.84$ Hz, 1H), 3.01 (dd, $J = 7.24$ Hz, $J = 15.2$ Hz, 1H), 2.38-2.23 (m, 4H), 2.00-1.82 (m, 2H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 200.0, 168.9, 166.5, 148.3, 140.6, 136.5, 134.3, 129.1, 128.08, 128.05, 127.58, 127.46, 125.2, 121.8, 121.8, 116.7, 48.9, 42.0, 37.7, 29.4, 22.9; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 371.17, found 371.47



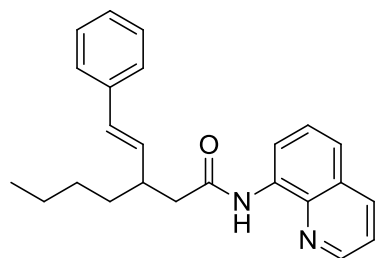
(E)-3-methyl-5-phenyl-N-(quinolin-8-yl)pent-4-enamide (21)

Following the general procedure **I**, amide (42.8 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**21**) (57 mg) were isolated in 90% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.85 (s, 1H), 8.79 (d, J = 7.36 Hz, 1H), 8.70(d, J = 4.16 Hz, 1H), 8.13(d, J = 8.24 Hz, 1H), 7.55-7.48 (m, 3H), 7.43-7.40 (m, 2H), 7.43-7.40 (m, 1H), 7.35-7.16 (m, 5H), 6.51 (d, J = 15.92 Hz, 1H), 6.29 (dd, J = 7.36 Hz, J = 15.88 Hz, 1H), 3.11-3.04 (m, 1H), 2.71 (dd, J = 7.12 Hz, 14.36 Hz, 1H), 2.60 (dd, J = 7.2 Hz, J = 14.34 Hz, 1H), 1.27 (d, J = 6.76 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.5, 148.2, 138.5, 137.6, 134.6, 129.4, 128.6, 127.5, 127.2, 126.3, 121.7, 121.6, 116.7; HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 317.16, found 317.50



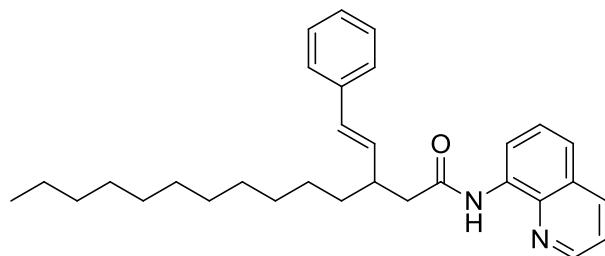
(E)-N-(quinolin-8-yl)-3-styrylhexanamide (22)

Following the general procedure **I**, amide (48.4 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**22**) (55.9 mg) were isolated in 82% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.86 (s, 1H), 8.89 (d, J = 8.64 Hz, 1H), 8.68-8.66 (m, 1H), 8.15-8.12 (m, 1H), 7.56-7.39 (m, 3H), 7.34-7.17 (m, 5H), 6.51 (d, J = 15.84 Hz, 1H), 6.15 (dd, J = 8.72 Hz, J = 15.82 Hz, 1H), 2.96-2.87 (m, 1H), 2.72-2.62 (m, 2H), 1.51-1.33 (m, 4H), 0.93 (t, J = 7.24 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 148.2, 138.5, 137.6, 136.4, 134.7, 133.2, 131.0, 128.5, 128.1, 127.5, 127.2, 126.3, 121.6, 121.5, 116.7, 44.6, 40.2, 37.4, 20.5, 14.2; HRMS (ESI) calcd for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 345.19, found 345.48



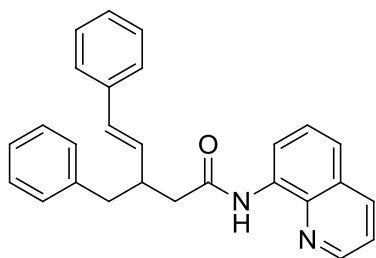
(E)-N-(quinolin-8-yl)-3-styrylheptanamide (23)

Following the general procedure **I**, amide (51.2 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**23**) (68 mg) were isolated in 95% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.85 (s, 1H), 8.78 (d, J = 7.36 Hz, 1H), 8.68 (d, J = 4.08 Hz, 1H), 8.24 (d, J = 8.24 Hz, 1H), 7.55-7.47 (m, 2H), 7.35-7.18 (m, 5H), 6.53 (d, J = 15.82 Hz, 1H), 6.16 (dd, J = 8.68 Hz, J = 15.82 Hz, 1H), 2.93-2.88 (m, 1H), 2.74-2.63 (m, 2H), 1.68-1.31 (m, 6H), 0.89 (t, J = 6.8 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 148.2, 138.5, 137.7, 136.4, 134.7, 133.3, 131.0, 128.5, 128.0, 127.5, 127.2, 126.3, 121.6, 121.5, 116.7, 44.6, 40.4, 35.0, 29.6, 22.8, 14.2; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 359.40, found 359.19



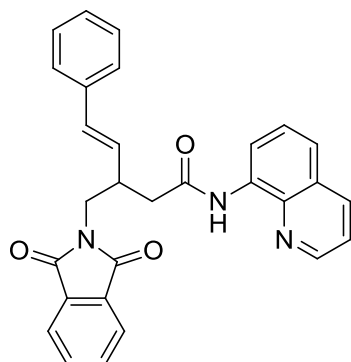
(E)-N-(quinolin-8-yl)-3-styryltetradecanamide (24)

Following the general procedure **I**, amide (70.8 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**24**) (73 mg) were isolated in 80% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.84 (s, 1H), 8.78-8.76 (m, 1H), 8.68-8.66 (m, 1H), 8.14-8.12 (m, 1H), 7.54-7.47 (m, 2H), 7.43-7.39 (m, 1H), 7.34-7.16 (m, 5H), 2.91-2.84 (m, 1H), 2.72-2.61 (m, 2H), 1.23 (s, 20H), 0.87 (t, J = 6.56 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 148.2, 138.5, 137.7, 136.4, 134.7, 133.3, 131.0, 128.5, 127.6, 127.2, 126.4, 121.7, 121.5, 116.7, 44.7, 40.5, 35.3, 32.1, 29.8, 29.7, 29.5, 27.4, 22.8, 14.3; HRMS (ESI) calcd for $\text{C}_{31}\text{H}_{41}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 457.31, found 457.56



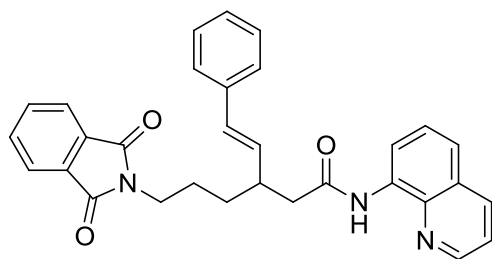
(E)-3-benzyl-5-phenyl-N-(quinolin-8-yl)pent-4-enamide (25)

Following the general procedure **I**, amide (58 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**25**) (68.5 mg) were isolated in 90% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.82 (s, 1H), 8.75 (d, J = 7.24 Hz, 1H), 8.69(d, J = 4.12 Hz, 1H), 8.13 (d, J = 8.24 Hz, 3H), 7.54-7.40 (m, 3H), 7.30-7.14 (m, 5H), 6.44 (d, J = 15.96 Hz, 1H), 6.23 (dd, J = 8.20 Hz, J = 15.92 Hz, 1H), 3.30-3.21 (m, 1H), 2.96-2.86 (m, 1H), 2.74 (dd, J = 5.72 Hz, J = 14.64 Hz, 1H), 2.65 (dd, J = 8.12 Hz, 14.62 Hz, 1H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.4, 148.2, 139.5, 137.6, 136.4, 132.4, 131.1, 129.7, 128.52, 128.47, 128.1, 127.6, 127.2, 126.4, 126.3, 121.7, 121.6, 116.7, 43.2, 41.6, 41.5; HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{25}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 393.19, found 393.11



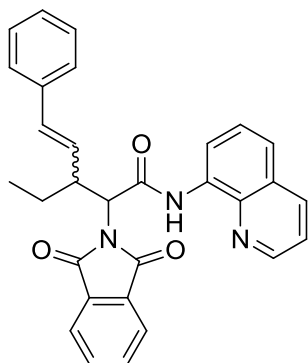
(E)-3-((1,3-dioxisoindolin-2-yl)methyl)-5-phenyl-N-(quinolin-8-yl)pent-4-enamide (26)

Following the general procedure **I**, amide (71.8 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 20:1). Finally, compound (**26**) (48.9 mg) were isolated in 53% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.84 (s, 1H), 8.70 (d, J = 4.08 Hz, 1H), 8.64-8.61 (m, 1H), 8.10 (d, J = 8.24 Hz, 1H), 7.73-7.71 (m, 2H), 7.60-7.58 (m, 2H), 7.44-7.39 (m, 3H), 7.28-7.16 (m, 5H), 6.56 (d, J = 15.82 Hz, 1H), 6.20 (dd, J = 8.8 Hz, J = 15.82 Hz, 1H), 3.92 (d, J = 7.48 Hz, 2H), 2.84-2.72 (m, 2H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 169.2, 168.5, 148.2, 138.4, 137.1, 136.3, 134.4, 133.9, 132.9, 132.0, 129.3, 129.1, 128.5, 128.3, 127.9, 127.5, 127.4, 126.5, 125.4, 123.3, 121.6, 121.5, 116.6, 42.1, 41.6, 39.6; HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{24}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 462.17, found 462.18



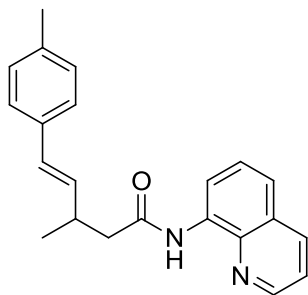
(E)-6-(1,3-dioxoisindolin-2-yl)-N-(quinolin-8-yl)-3-styrylhexanamide (27)

Following the general procedure **I**, amide (77.4 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 20:1). Finally, compound (**27**) (53.4 mg) were isolated in 85% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.81 (s, 1H), 8.72-8.70 (m, 1H), 8.68-8.67 (m, 1H), 8.13 (d, J = 8 Hz, 1H), 7.80-7.78 (m, 2H), 7.68-7.66 (m, 2H), 7.50-7.46 (m, 2H), 7.42-7.39 (m, 1H), 7.31-7.15 (m, 5H), 6.53 (d, J = 16 Hz, 1H), 6.11 (dd, J = 8 Hz, J = 16 Hz, 1H), 3.71 (t, J = 8 Hz, 2H), 2.93-2.89 (m, 1H), 2.72-2.62 (m, 2H), 1.75-1.68 (m, 2H), 1.49-1.39 (m, 2H),); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.2, 168.5, 148.2, 138.5, 137.4, 136.4, 134.6, 134.0, 132.3, 131.7, 128.5, 128.0, 127.5, 127.3, 126.4, 123.3, 121.7, 126.4, 123.3, 121.7, 116.7, 44.5, 40.2, 38.0, 32.3, 26.7; HRMS (ESI) calcd for $\text{C}_{31}\text{H}_{28}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 490.21, found 490.52



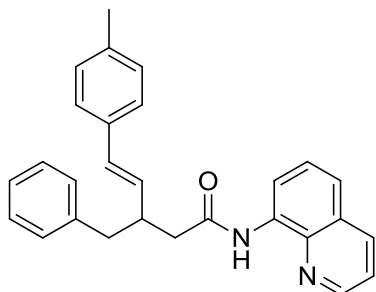
(E)-2-(1,3-dioxoisindolin-2-yl)-3-ethyl-5-phenyl-N-(quinolin-8-yl)pent-4-enamide (28)

Following the general procedure **I**, amide (20 mg, 0.05 mmol), Ag_2CO_3 (15 mg, 0.05 mmol), $\text{Pd}(\text{OAc})_2$ (1 mg), $(\text{BnO})_2\text{P}(\text{O})\text{OH}$ (5mg, 30%), and vinyl iodide (**39**) (23mg, 0.10mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 12 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**28**) (16 mg) were isolated in 67% (dr = 2.5:1) yield. HRMS (ESI) calcd for $\text{C}_{30}\text{H}_{26}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 476.19, found 476.14



(E)-3-methyl-N-(quinolin-8-yl)-5-(p-tolyl)pent-4-enamide (29)

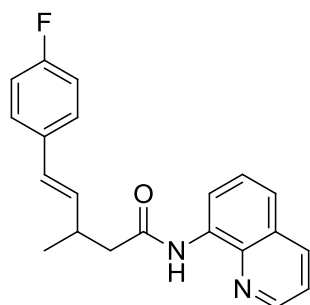
Following the general procedure **I**, amide (42.8 mg, 0.2 mmol), vinyl iodide (**46**) (73.2 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**29**) (47.5 mg) were isolated in 72% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.84 (s, 1H), 8.79 (d, J = 8 Hz, 1H), 8.72-8.70 (m, 1H), 8.15-8.13 (m, 1H), 7.55-7.48 (m, 2H), 7.44-7.41 (m, 1H), 7.23 (d, J = 8 Hz, 2H), 7.07 (d, J = 8 Hz, 2H), 6.48 (d, J = 16 Hz, 1H), 6.23 (dd, J = 8 Hz, J = 16 Hz, 1H), 3.09-3.02 (m, 1H), 2.71 (dd, J = 8 Hz, J = 16 Hz, 1H), 2.67 (dd, J = 8 Hz, J = 16 Hz, 1H), 2.31 (s, 3H), 1.26 (d, J = 8 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.6, 148.3, 138.6, 136.9, 136.5, 134.9, 134.7, 133.6, 129.3, 129.2, 128.1, 127.6, 126.2, 121.7, 121.6, 116.7, 45.9, 34.5, 21.3, 34.5, 21.3, 20.5; HRMS (ESI) calcd for $\text{C}_{22}\text{H}_{23}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 331.17, found 331.44



(E)-3-benzyl-N-(quinolin-8-yl)-5-(p-tolyl)pent-4-enamide (30)

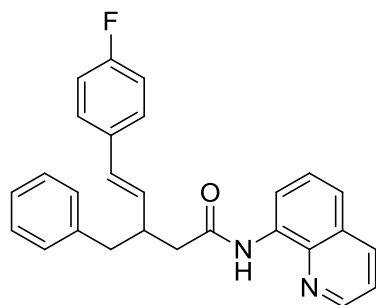
Following the general procedure **I**, amide (58 mg, 0.2 mmol), vinyl iodide (**46**) (73.2 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**30**) (44 mg) were isolated in 54% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.82 (s, 1H), 8.75 (d, J = 6.36 Hz, 1H), 8.69 (d, J = 3.04 Hz, 1H), 8.13 (d, J = 7.24 Hz, 1H), 7.53-7.40 (m, 3H), 7.29-7.18 (m, 7H), 7.03 (d, J = 8 Hz, 2H), 6.40 (d, J = 15.96 Hz, 1H), 6.17 (dd, J = 8.28 Hz, J = 15.88 Hz, 1H), 3.28-3.19 (m, 1H), 2.95-2.85 (m, 2H), 2.73 (dd, J = 5.8 Hz, J = 14.66 Hz, 1H), 2.29 (s, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.4, 148.2, 139.6, 138.5, 137.0, 136.4, 134.8, 134.6, 131.3, 130.9, 129.7, 129.2, 128.4, 128.1, 127.5, 126.3, 121.7, 121.6, 116.7, 43.2, 41.7, 41.5, 21.3; HRMS (ESI) calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 407.20, found

407.20



(E)-5-(4-fluorophenyl)-3-methyl-N-(quinolin-8-yl)pent-4-enamide (31)

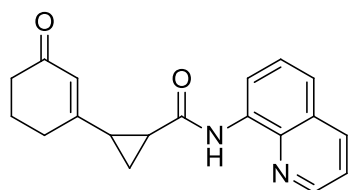
Following the general procedure **I**, amide (42.8 mg, 0.2 mmol), vinyl iodide (**47**) (74.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**31**) (50.1 mg) were isolated in 75% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.84 (s, 1H), 8.79 (d, J = 7.24 Hz, 1H), 8.70 (d, J = 2.92 Hz, 1H), 8.14 (d, J = 8.16 Hz, 1H), 7.55-7.41 (m, 3H), 7.31-7.17 (m, 2H), 6.94 (t, J = 8.64 Hz, 2H), 6.46 (d, J = 15.92 Hz, 1H), 6.19 (dd, J = 7.4 Hz, J = 15.88 Hz, 1H), 3.10-3.03 (m, 1H), 2.69 (dd, J = 7.28 Hz, J = 14.32 Hz, 1H), 2.60 (dd, J = 7.32 Hz, J = 14.34 Hz, 1H), 1.26 (d, J = 7.6 Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.5, 162.15 (d, J = 244Hz), 148.2, 138.5, 136.5, 134.6, 134.29, 134.27, 133.6 (d, J = 3Hz), 128.2, 128.1, 127.8 (d, J = 8Hz), 127.5, 121.7, 121.6, 116.7, 115.4 (d, J = 22Hz), 45.8, 34.5, 20.4; HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{20}\text{FN}_2\text{O} [\text{M}+\text{H}]^+$: 335.15, found 335.39



(E)-3-benzyl-5-(4-fluorophenyl)-N-(quinolin-8-yl)pent-4-enamide (32)

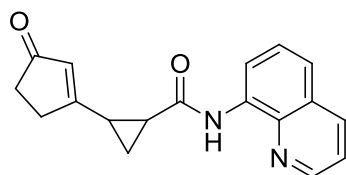
Following the general procedure **I**, amide (58 mg, 0.2 mmol), vinyl iodide (**47**) (74.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, compound (**32**) (42.6 mg) were isolated in 52% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.80 (s, 1H), 8.77-8.69 (m, 2H), 8.15-8.12 (m, 1H), 7.54-7.41 (m, 1H), 7.31-7.20 (m, 7H), 6.90 (t, J = 8.52 Hz, 2H), 6.39 (d, J = 15.88 Hz, 1H), 6.14 (dd, J = 8.28 Hz, J = 15.9 Hz, 1H), 3.26-3.21 (m, 1H), 2.96-2.86 (m, 2H), 2.76 (dd, J = 5.56 Hz, J = 14.72 Hz, 1H), 2.64 (dd, J = 8.28 Hz, J = 14.68 Hz, 1H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 170.8, 163.6 (d, J = 244Hz), 148.7, 139.9, 138.9, 136.9, 134.9

(d, $J = 3\text{Hz}$) , 132.6, 130.3, 130.0, 128.9, 128.5, 128.2 (d, $J = 8\text{Hz}$), 128.0, 126.8, 122.1, 122.05, 117.1, 115.8 (d, $J = 22\text{Hz}$), 43.5, 42.0, 41.95; HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{24}\text{FN}_2\text{O} [\text{M}+\text{H}]^+$: 411.18, found 411.19



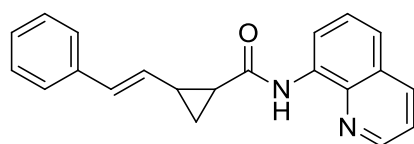
2-(3-Oxocyclohex-1-en-1-yl)-N-(quinolin-8-yl)cyclopropanecarboxamide (33)

Following the general procedure **I**, amide (42.4 mg, 0.2 mmol), vinyl iodide (**2a**) (66.6 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**33**) (43.8 mg) were isolated in 72% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.92 (s, 1H), 8.77 (d, $J = 3.36\text{ Hz}$, 1H), 8.67-8.65 (q, 1H), 8.14 (d, $J = 8.16\text{ Hz}$, 1H), 7.49-7.42 (m, 3H), 6.09 (s, 1H), 2.49-2.38 (m, 1H), 2.31-2.18 (m, 5H), 1.91-1.85 (m, 2H), 1.73-1.68 (m, 1H), 1.35-1.30 (m, 1H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 119.6, 167.9, 161.1, 148.3, 138.3, 136.5, 134.5, 128.5, 128.0, 127.5, 121.8, 121.6, 116.5, 37.6, 30.1, 27.4, 24.8, 22.7, 11.0; HRMS (ESI) calcd for $\text{C}_{19}\text{H}_{19}\text{N}_2\text{O}_2 [\text{M}+\text{H}]^+$: 307.14 found 307.41



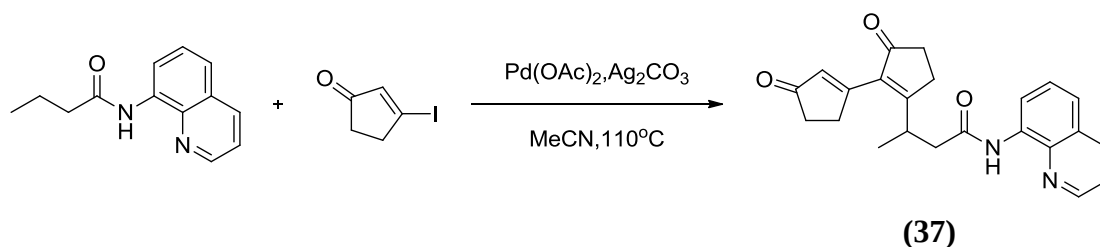
2-(3-Oxocyclopent-1-en-1-yl)-N-(quinolin-8-yl)cyclopropanecarboxamide (34)

Following the general procedure **I**, amide (42.4 mg, 0.2 mmol), vinyl iodide (**36**) (62.4 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 2:1). Finally, compound (**34**) (40.9 mg) were isolated in 70% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.99 (s, 1H), 8.80-8.79 (m, 1H), 8.66-8.64 (m, 1H), 8.17-8.14 (m, 1H), 7.50-7.44 (m, 3H), 6.10 (s, 1H), 2.80-2.74 (m, 1H), 2.58-2.54 (m, 1H), 2.47-2.42 (m, 1H), 2.34-2.24 (m, 3H), 1.83-1.76 (m, 1H), 1.50-1.45 (m, 1H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 209.8, 178.0, 167.2, 148.3, 138.3, 136.6, 134.4, 132.0, 128.1, 127.5, 121.8, 121.78, 116.7, 35.5, 31.8, 26.7, 23.1, 12.4; HRMS (ESI) calcd for $\text{C}_{18}\text{H}_{19}\text{N}_2\text{O}_2 [\text{M}+\text{H}]^+$: 293.12, found 293.37



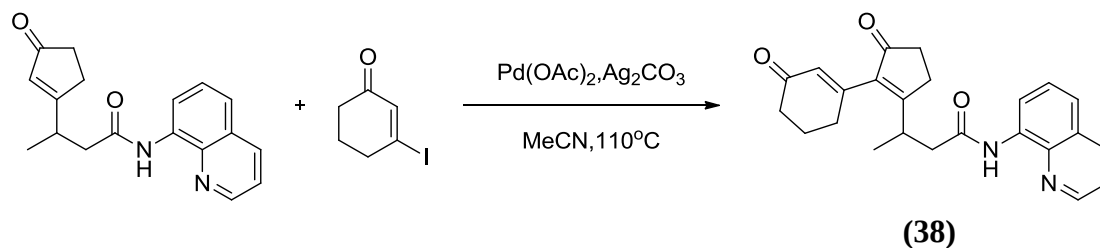
(E)-N-(quinolin-8-yl)-2-styrylcyclopropanecarboxamide (35)

Following the general procedure I, amide (42.4 mg, 0.2 mmol), vinyl iodide (**39**) (69 mg, 0.30 mmol), Ag₂CO₃ (55.15 mg, 0.2 mmol), Pd(OAc)₂ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound (**35**) (42.7 mg) were isolated in 68% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 10.0 (s, 1H), 8.79-8.76 (m, 2H), 8.14(d, *J* = 8.2 Hz, 1H), 7.54-7.42 (m, 3H), 7.34-7.12 (m, 5H), 6.60 (d, *J* = 15.88 Hz, 1H), 6.40 (dd, *J* = 9.0 Hz, *J* = 15.88 Hz, 1H), 2.27-2.14 (m, 2H), 1.63-1.58 (m, 1H), 1.42-1.36 (m, 1H); ¹³C-NMR (100 MHz, CDCl₃) δ (ppm) 169.2, 148.2, 138.4, 137.6, 136.5, 134.9, 131.2, 128.5, 128.1, 127.6, 127.0, 126.2, 121.7, 121.4, 116.6, 25.4, 24.9, 14.2; HRMS (ESI) calcd for C₂₁H₁₉N₂O [M+H]⁺: 315.14, found 315.45



3-(3',5-dioxo-[1,1'-bi(cyclopentane)]-1,1'-dien-2-yl)-N-(quinolin-8-yl)butanamide(37**)**

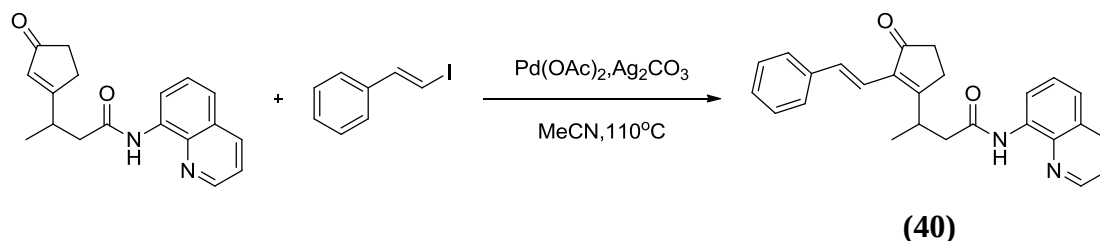
Following the general procedure I, amide (42.8 mg, 0.2 mmol), Ag₂CO₃ (55.15 mg, 0.2 mmol), Pd(OAc)₂ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 8 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound (**37**) (56.3 mg) were isolated in 75% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.77 (s, 1H), 8.79-8.78 (m, 1H), 8.65 (t, *J* = 4.52Hz, 1H), 8.18-8.16 (m, 1H), 7.52-7.46 (m, 3H), 6.31 (s, 1H), 3.79-3.74 (m, 1H), 3.02 (dd, *J* = 7.12 Hz, *J* = 16.26 Hz, 1H), 2.82-2.70 (m, 5H), 2.53-2.50 (m, 2H), 2.41-2.32 (m, 2H) 1.33 (d, *J* = 6.96 Hz, 3H); ¹³C-NMR (100 MHz, CDCl₃) δ (ppm) 209.7, 206.4, 180.6, 168.7, 168.5, 148.4, 138.2, 136.6, 136.3, 134.0, 132.9, 128.0, 127.4, 122.0, 121.9, 116.6, 43.1, 34.9, 34.6, 32.9, 31.7, 30.8, 25.8, 22.7, 19.6, 14.2; HRMS (ESI) calcd for C₂₃H₂₃N₂O₃ [M+H]⁺: 375.16, found 375.13



3-(3-Oxo-2-(3-oxocyclohex-1-en-1-yl)cyclopent-1-en-1-yl)-N-(quinolin-8-yl)butanamide(38**)**

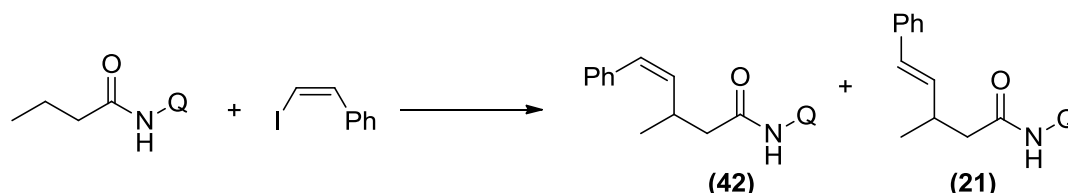
Following the general procedure I, amide (58.8 mg, 0.2 mmol), Ag₂CO₃ (55.15 mg, 0.2 mmol), Pd(OAc)₂ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 12 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound (**37**) (52.9 mg) were isolated in 68% yield. ¹H-NMR (400 MHz, CDCl₃) δ (ppm) 9.78 (s, 1H),

8.78 (d, $J = 3.16$ Hz, 1H), 8.67 (t, $J = 4.2$ Hz, 1H), 8.18 (d, $J = 8.0$ Hz, 1H), 7.53-7.47 (m, 3H), 5.89 (s, 1H), 3.67-3.61 (m, 1H), 2.78-2.53 (m, 4H), 2.49-2.38 (m, 3H), 2.36-2.25 (m, 3H) 1.97-1.31 (m, 2H), 1.30 (d, $J = 6.8$ Hz, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 206.8, 199.4, 177.5, 168.9, 156.1, 148.4, 141.1, 138.2, 136.8, 134.1, 129.1, 128.1, 127.6, 122.0, 121.9, 116.7, 43.3, 37.4, 34.7, 32.8, 28.9, 25.5, 22.7, 19.8; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 389.18, found 389.70

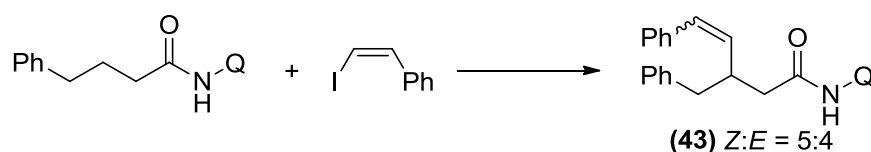


(E)-3-(3-oxo-2-styrylcyclopent-1-en-1-yl)-N-(quinolin-8-yl)butanamide (40)

Following the general procedure **I**, amide (58.8 mg, 0.2 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 12 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, compound **(40)** (52.9 mg) were isolated in 55% yield. ^1H -NMR (400 MHz, CDCl_3) δ (ppm) 9.82 (s, 1H), 8.76-8.71 (m, 2H), 8.16-8.14 (m, 1H), 7.74 (d, $J = 16.2$ Hz, 1H), 7.50-7.44 (m, 5H), 7.30-6.93 (m, 3H), 6.91 (d, $J = 16.24$ Hz, 1H), 3.91-3.86 (m, 1H), 2.86-2.75 (m, 2H), 2.69-2.67 (m, 2H), 2.50-2.48 (m, 2H), 1.35 (d, 3H); ^{13}C -NMR (100 MHz, CDCl_3) δ (ppm) 208.4, 176.2, 169.3, 148.4, 137.8, 136.6, 134.7, 134.2, 133.3, 128.6, 127.9, 127.5, 126.8, 121.9, 121.8, 117.1, 116.8, 43.3, 35.1, 32.1, 25.2, 19.0; HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{25}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$: 397.18, found 397.16

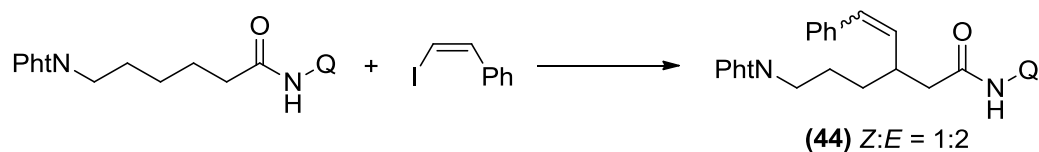


Following the general procedure **I**, amide (42.8 mg, 0.2 mmol), vinyl iodide **(41)** (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 12 h. The residue was purified by silical gel column chromatography (Toluene: Ethyl acetate = 100:1). Finally, a mixture of compound **(42)** and **(21)** (41.16 mg) were isolated in 70% yield with a ratio of 2:1. HRMS (ESI) calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 317.16, found 317.67



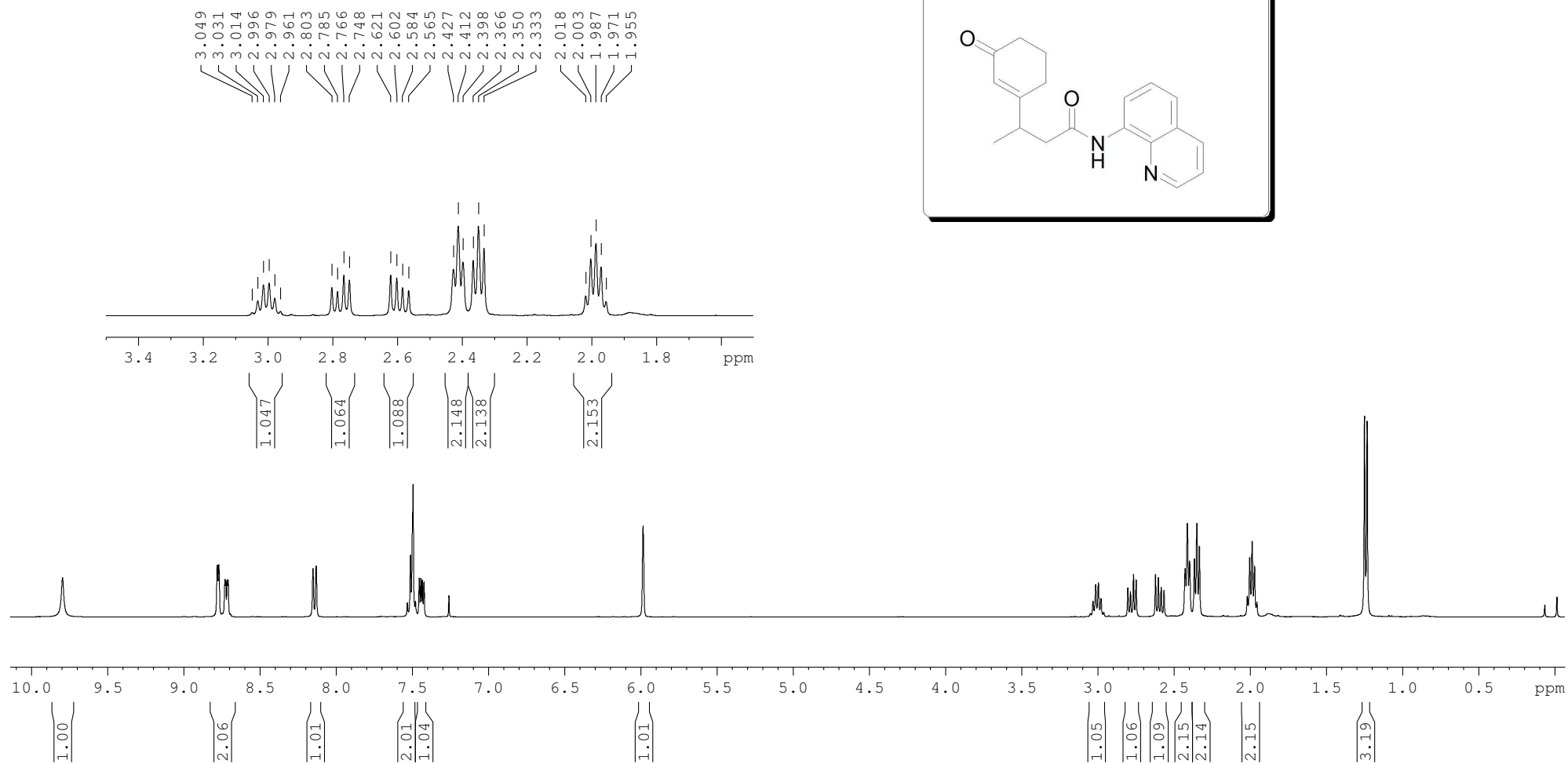
Following the general procedure **I**, amide (58 mg, 0.2 mmol), vinyl iodide **(41)** (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $\text{Pd}(\text{OAc})_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 12 h. The residue

was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, a mixture of compound **(43Z)** and **(43E)** (36.85 mg) were isolated in 47% yield with a ratio of 5:4. HRMS (ESI) calcd for $C_{27}H_{25}N_2O$ $[M+H]^+$: 393.19, found 393.16



Following the general procedure **I**, amide (77.4 mg, 0.2 mmol), vinyl iodide **(41)** (69 mg, 0.30 mmol), Ag_2CO_3 (55.15 mg, 0.2 mmol), $Pd(OAc)_2$ (4.48 mg, 0.02 mmol) and 2 ml PhMe were used. The reaction mixture was stirred at 110°C for 12 h. The residue was purified by silical gel column chromatography (Petroleum ether: Ethyl acetate = 1:1). Finally, a mixture of compound **(44Z)** and **(44E)** (48.24mg) were isolated in 62% yield with a ratio of 1:2. HRMS (ESI) calcd for $C_{31}H_{28}N_3O_3$ $[M+H]^+$: 490.21, found 490.17

Current Data Parameters
NAME hgy-2-6p-4-h-201407
EXPNO 10
PROCNO 1



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2.3496
2.3325
2.0182
2.0027
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1.9708
1.9552
1.2489
1.2317

— 199.97

169.51
169.12

— 148.33

138.38
136.49
134.32

128.04
127.45
125.02
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— 116.62

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77.16
76.85

— 43.37
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37.65

— 28.36

— 22.96

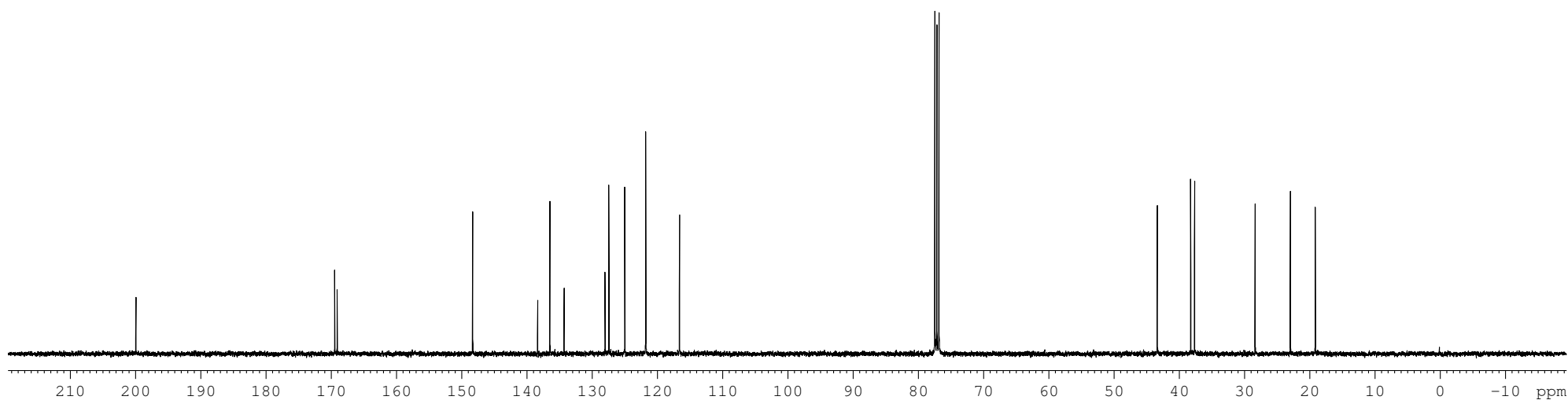
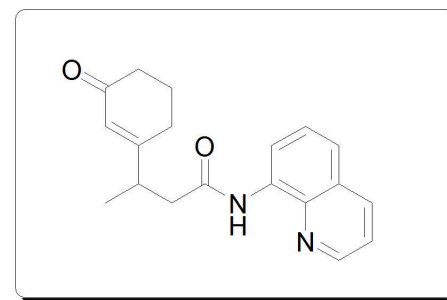
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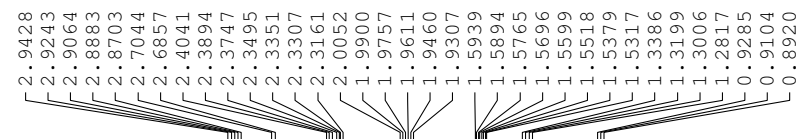
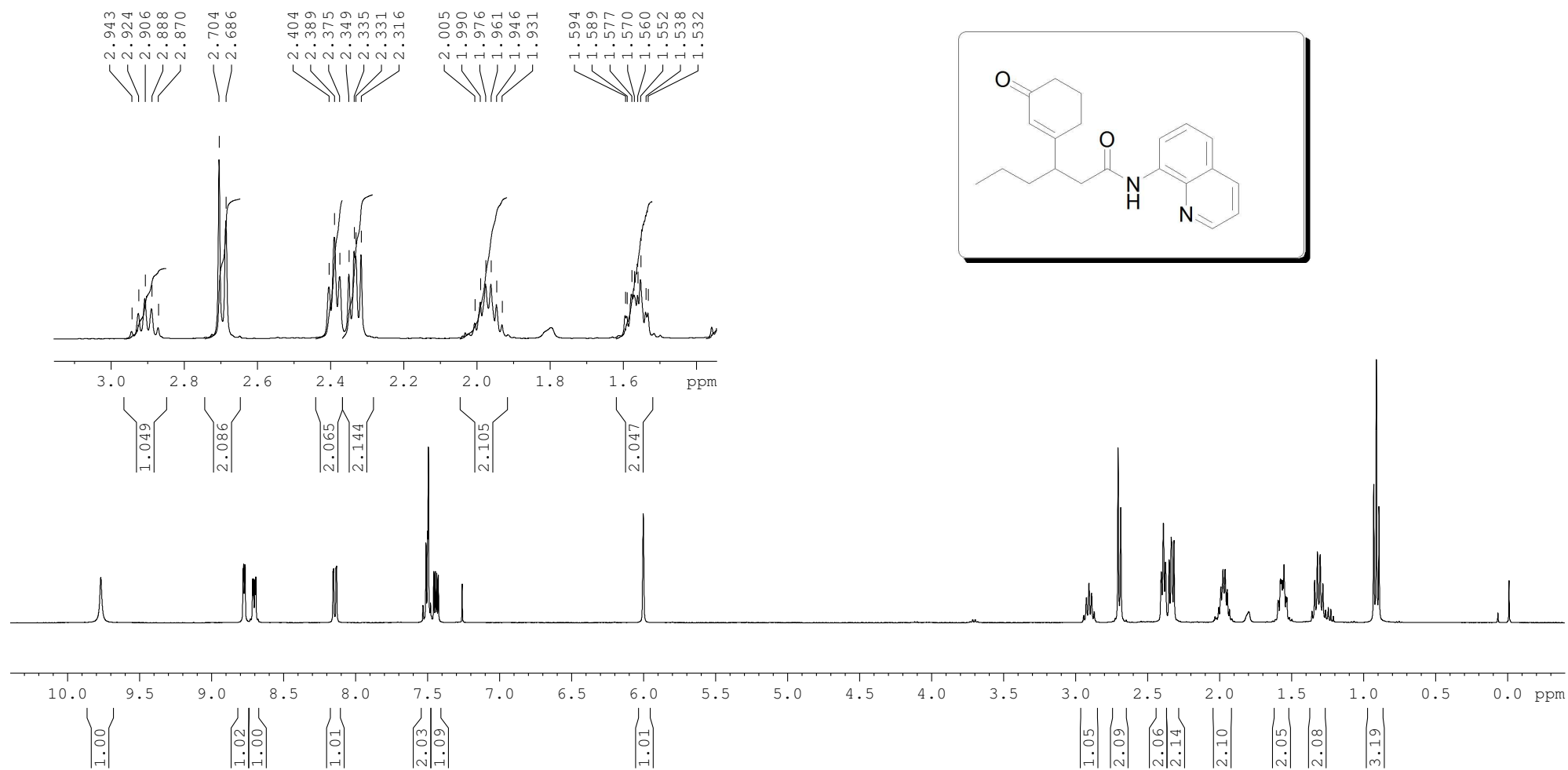
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EXPNO 11

PROCNO 1





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— 134.33

— 128.05
— 127.46
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— 116.64

— 43.96
— 42.54
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— 35.83

— 28.15

— 22.90
— 20.60

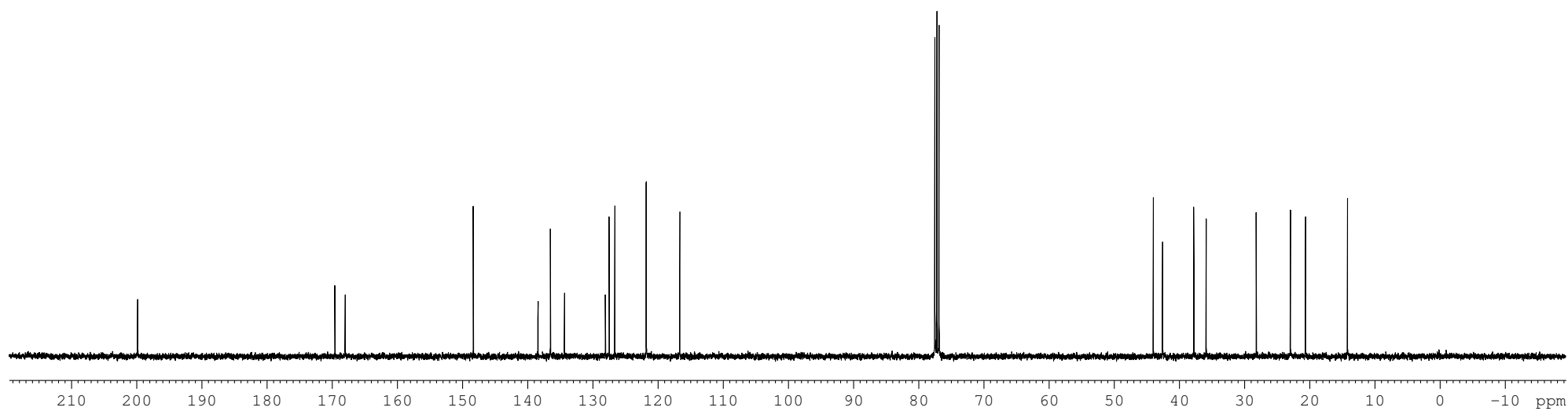
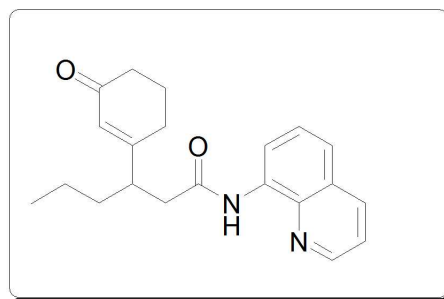
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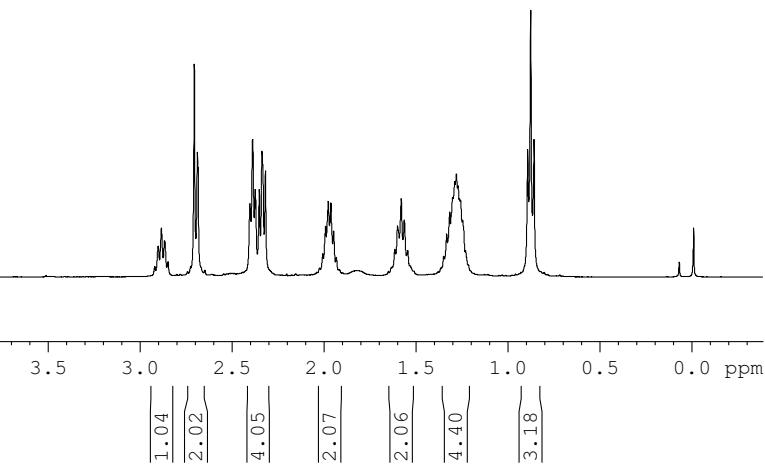
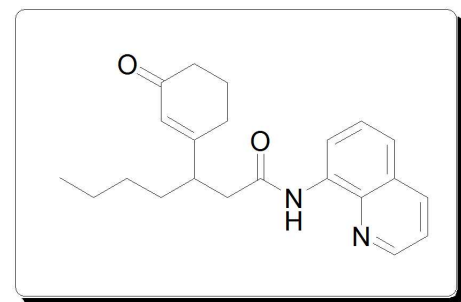
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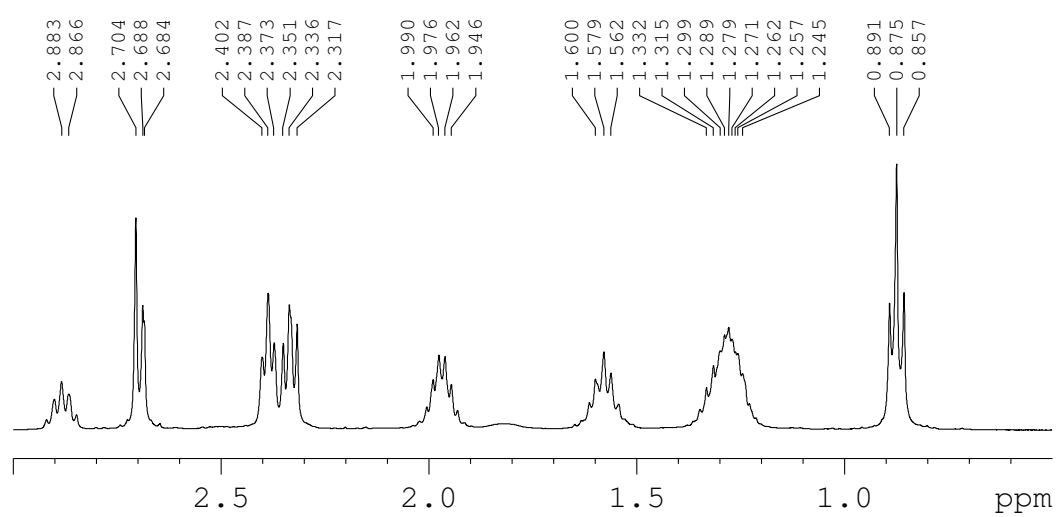
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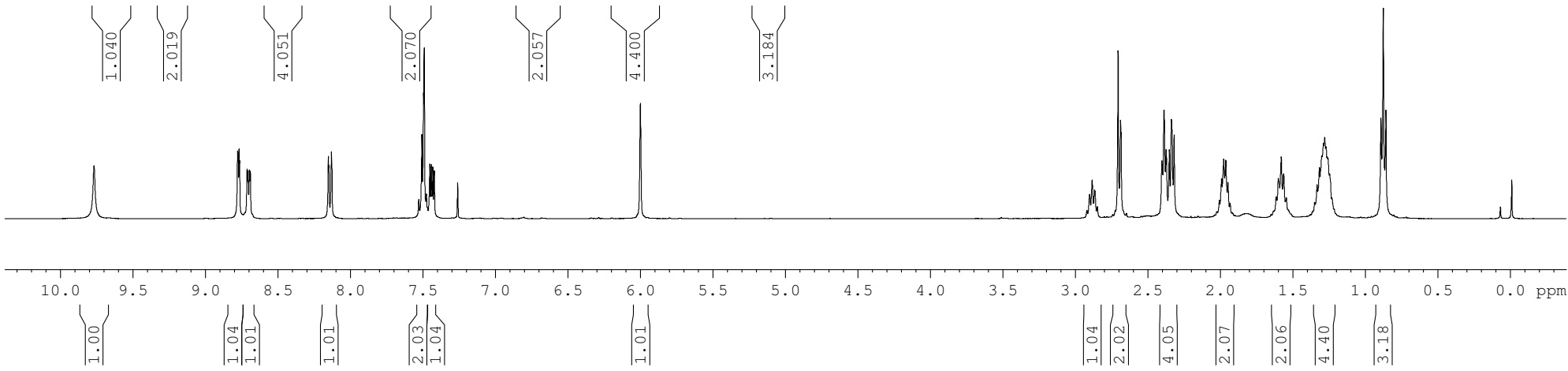
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Current Data Parameters
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EXPNO 10
PROCNO 1



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— 138.40

— 136.49

— 134.33

— 128.05

— 127.46

— 126.60

— 121.78

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— 37.72

— 33.33

— 29.56

— 28.16

— 22.90

— 22.74

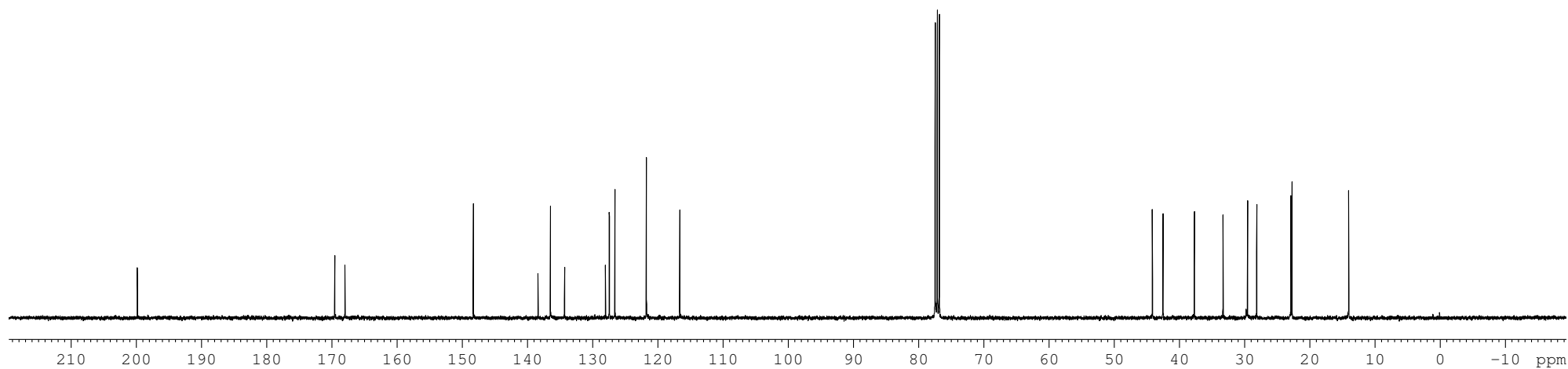
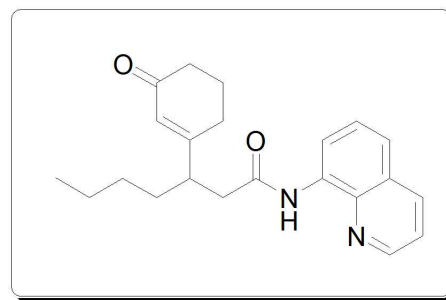
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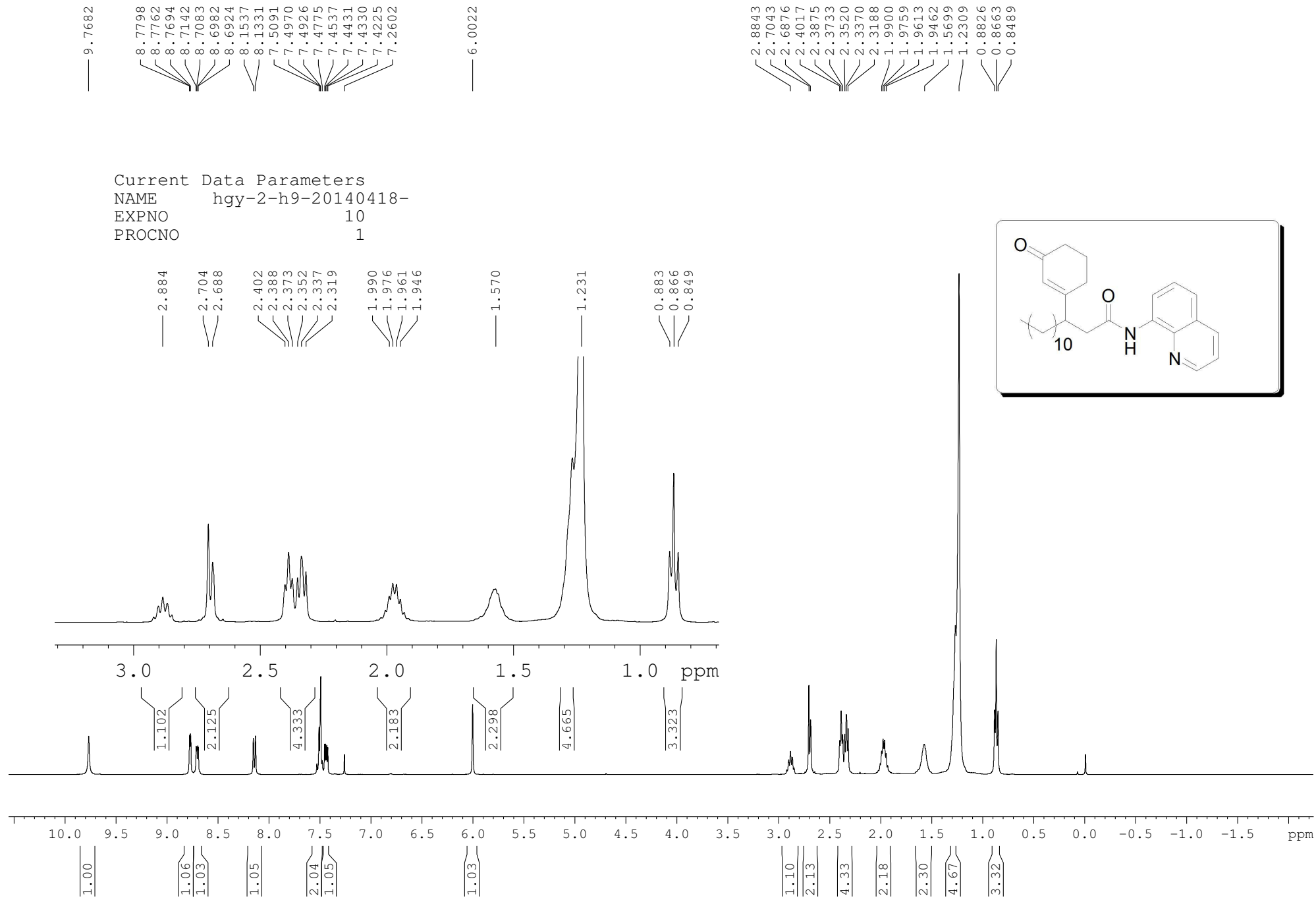
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EXPNO 70

PROCNO 1





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— 121.80
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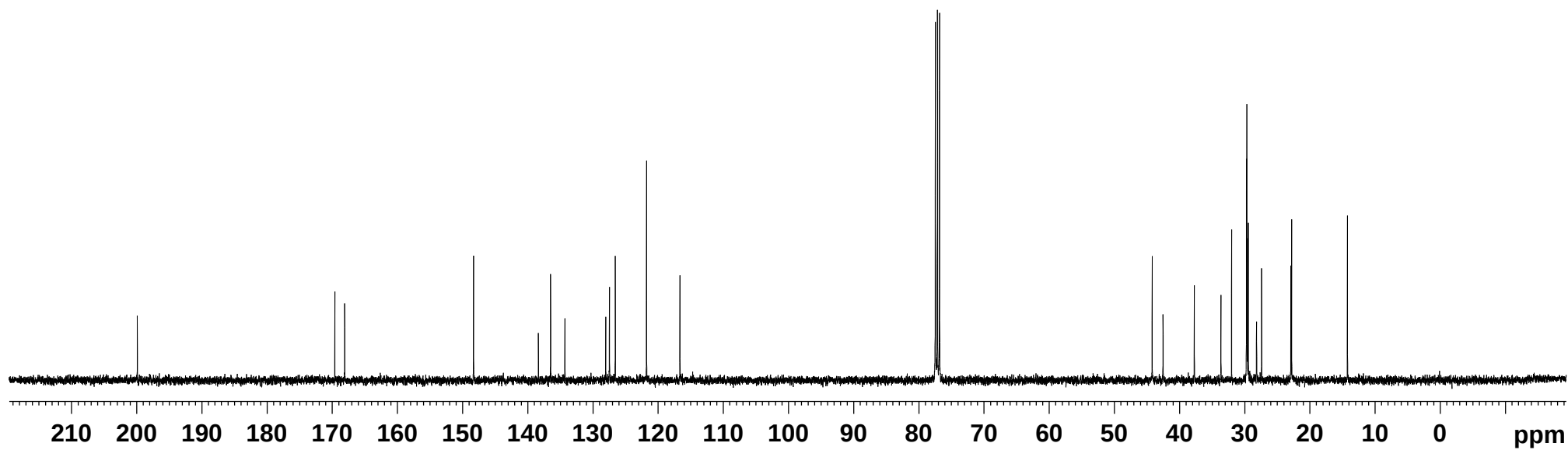
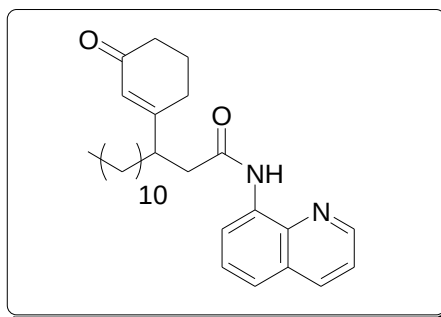
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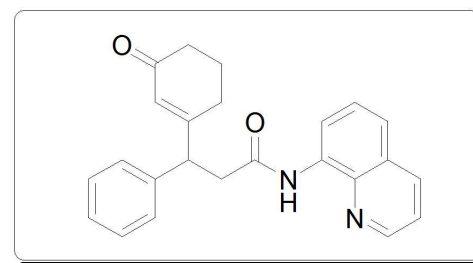
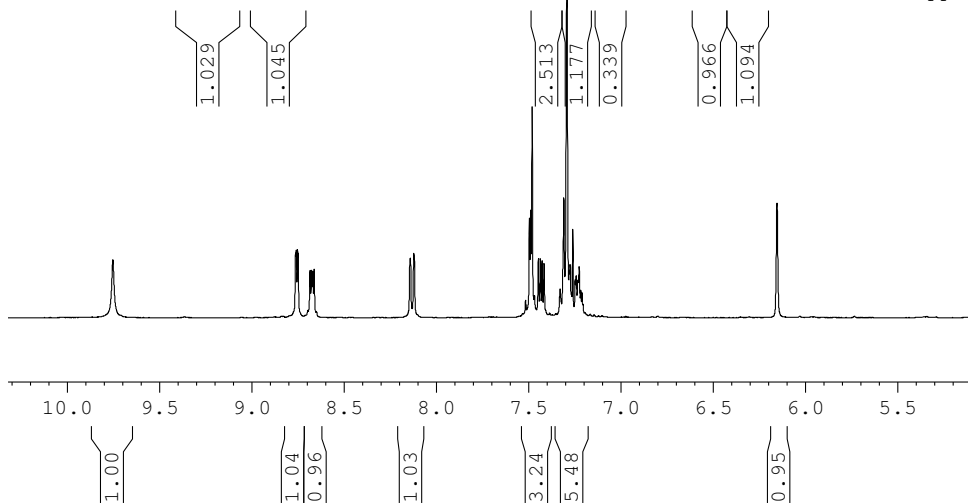
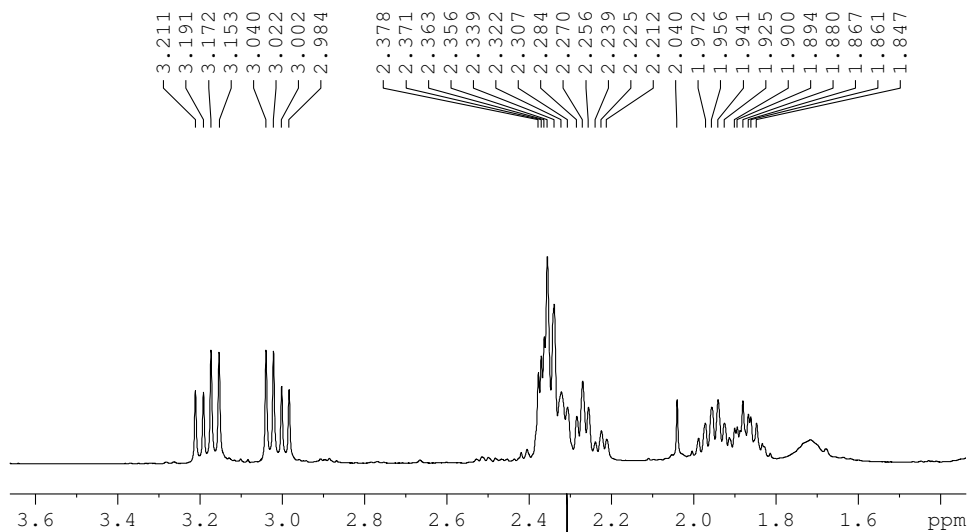
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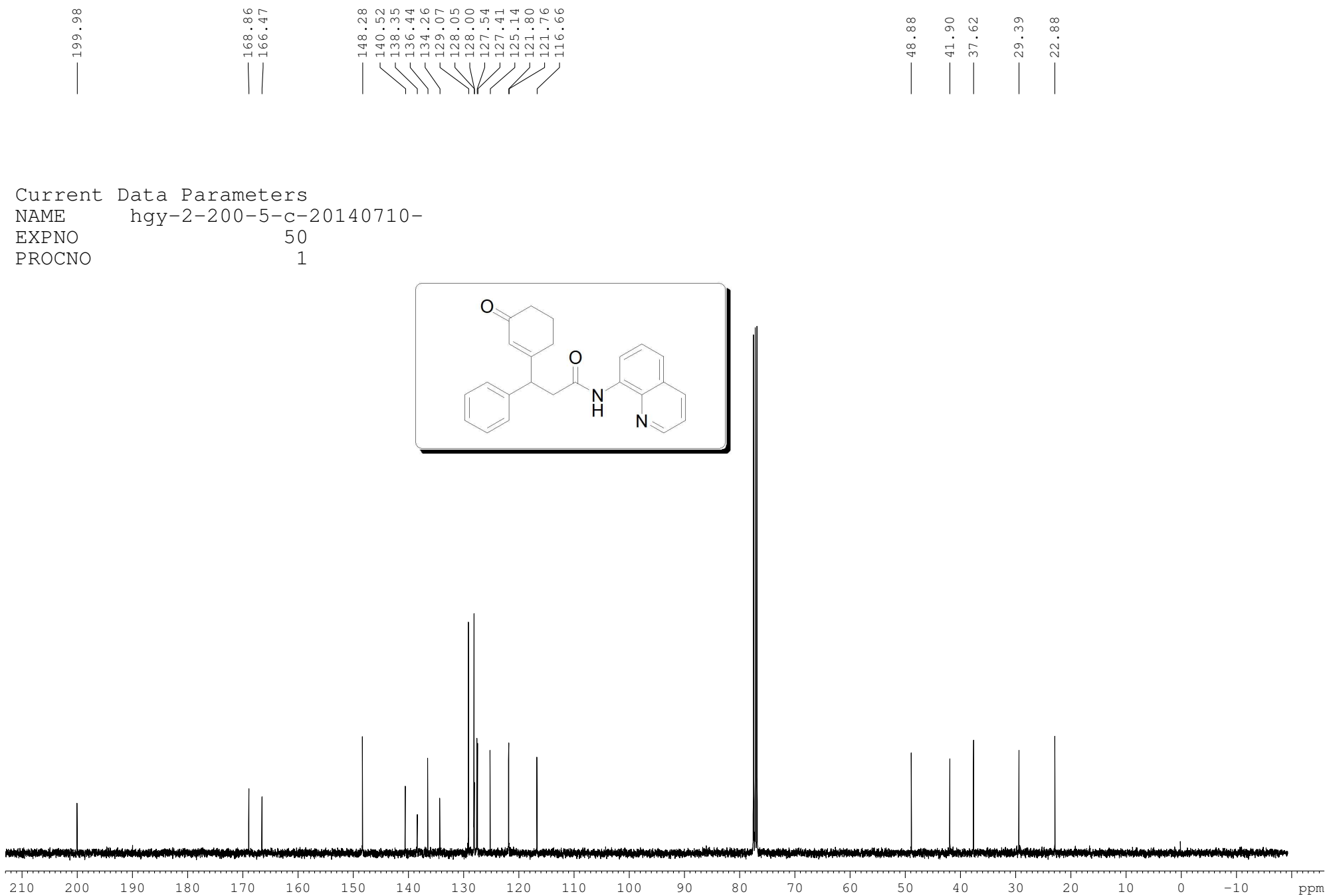
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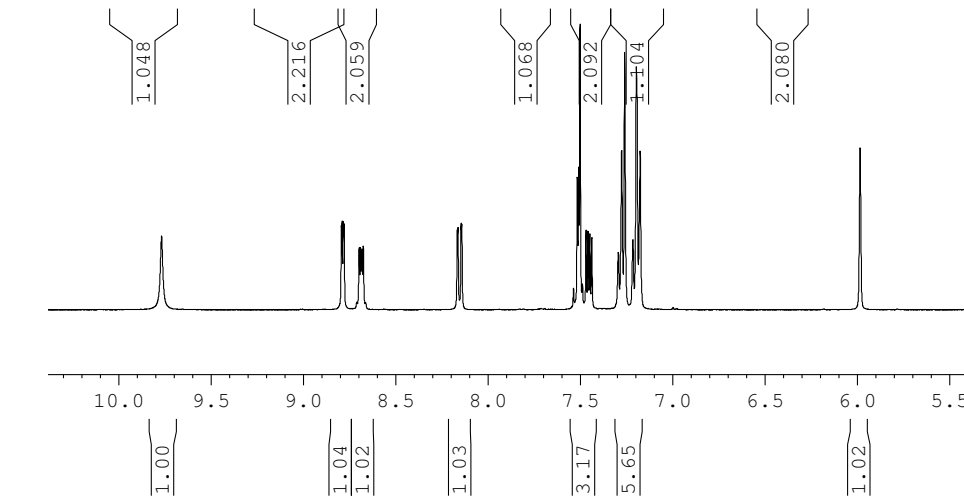
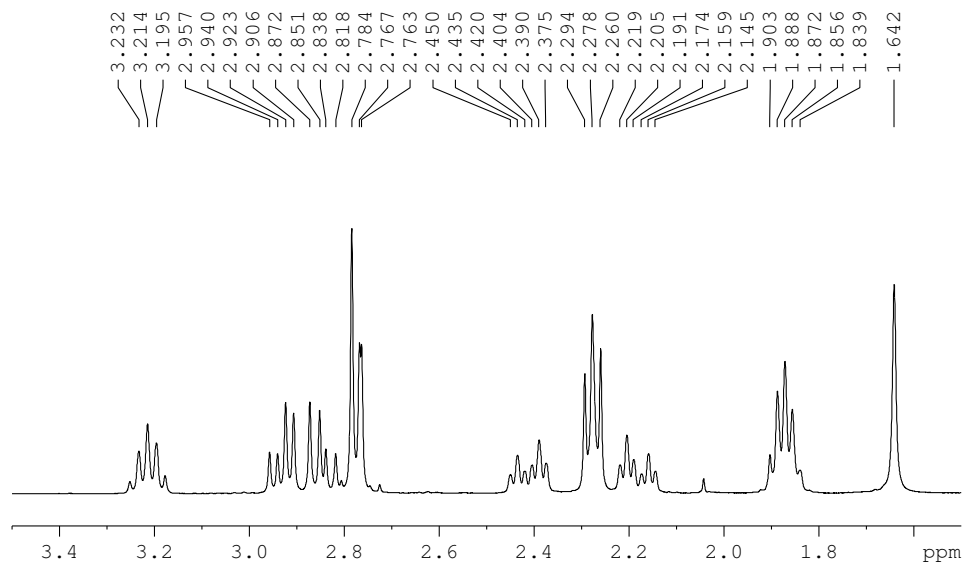
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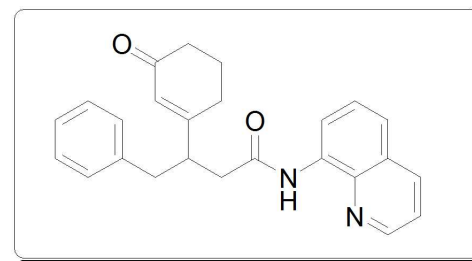
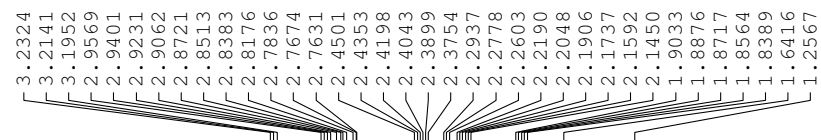
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Current Data Parameters
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EXPNO 11
PROCNO 1



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— 116.68

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— 29.55

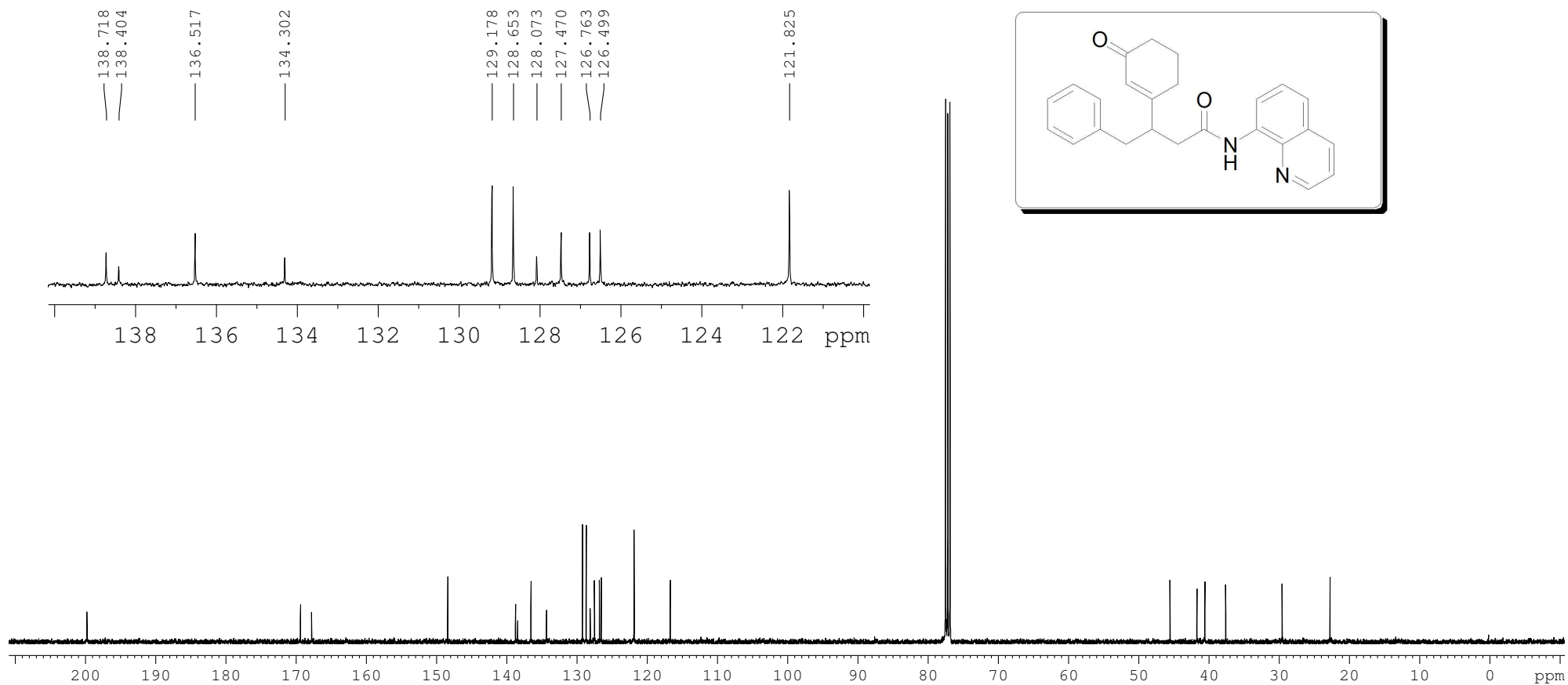
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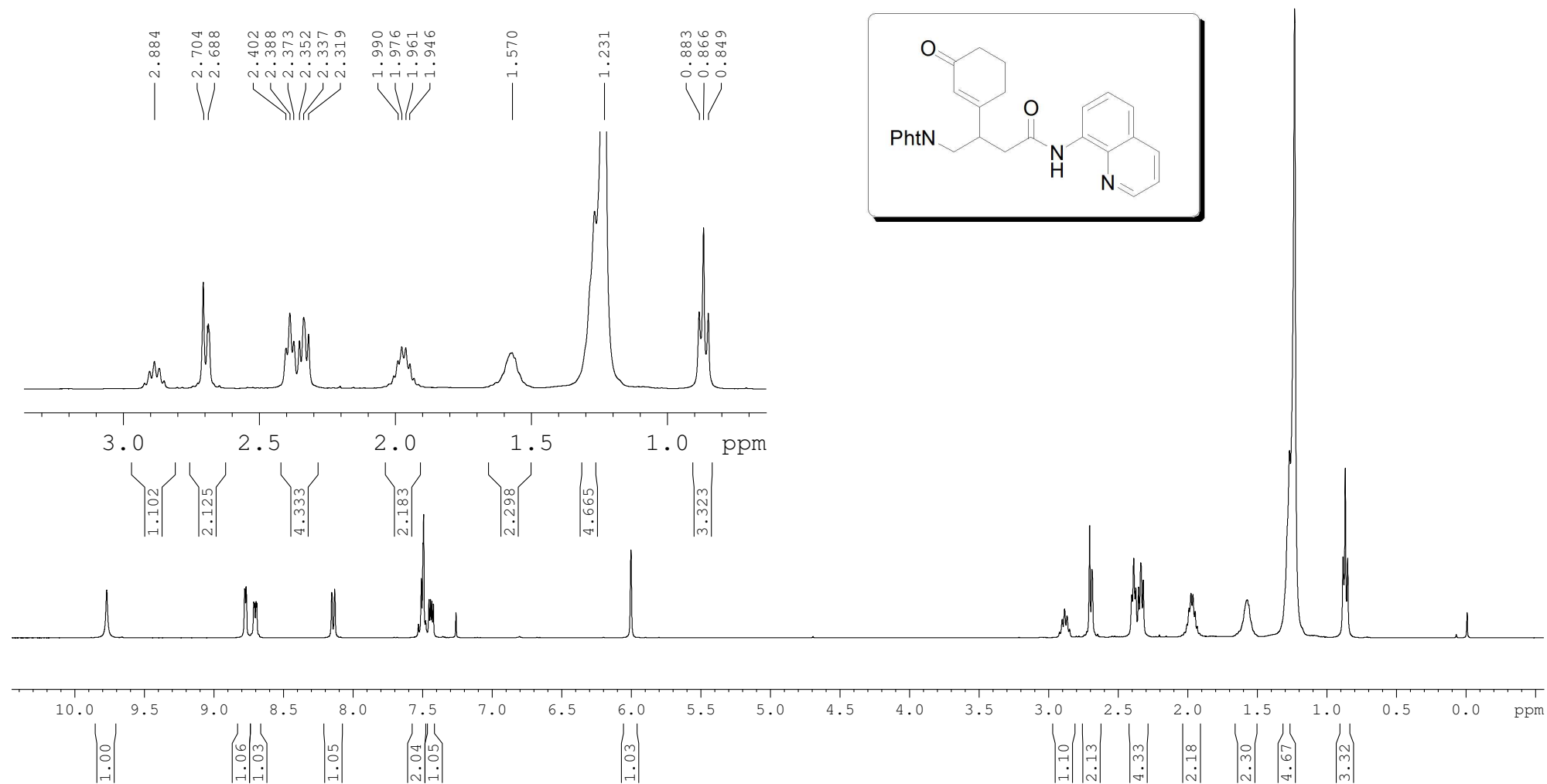
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PROCNO 1



Current Data Parameters
NAME hgy-2-h9-20140418-
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— 148.42

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— 134.13

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— 128.01

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— 39.29

— 35.39

— 30.08

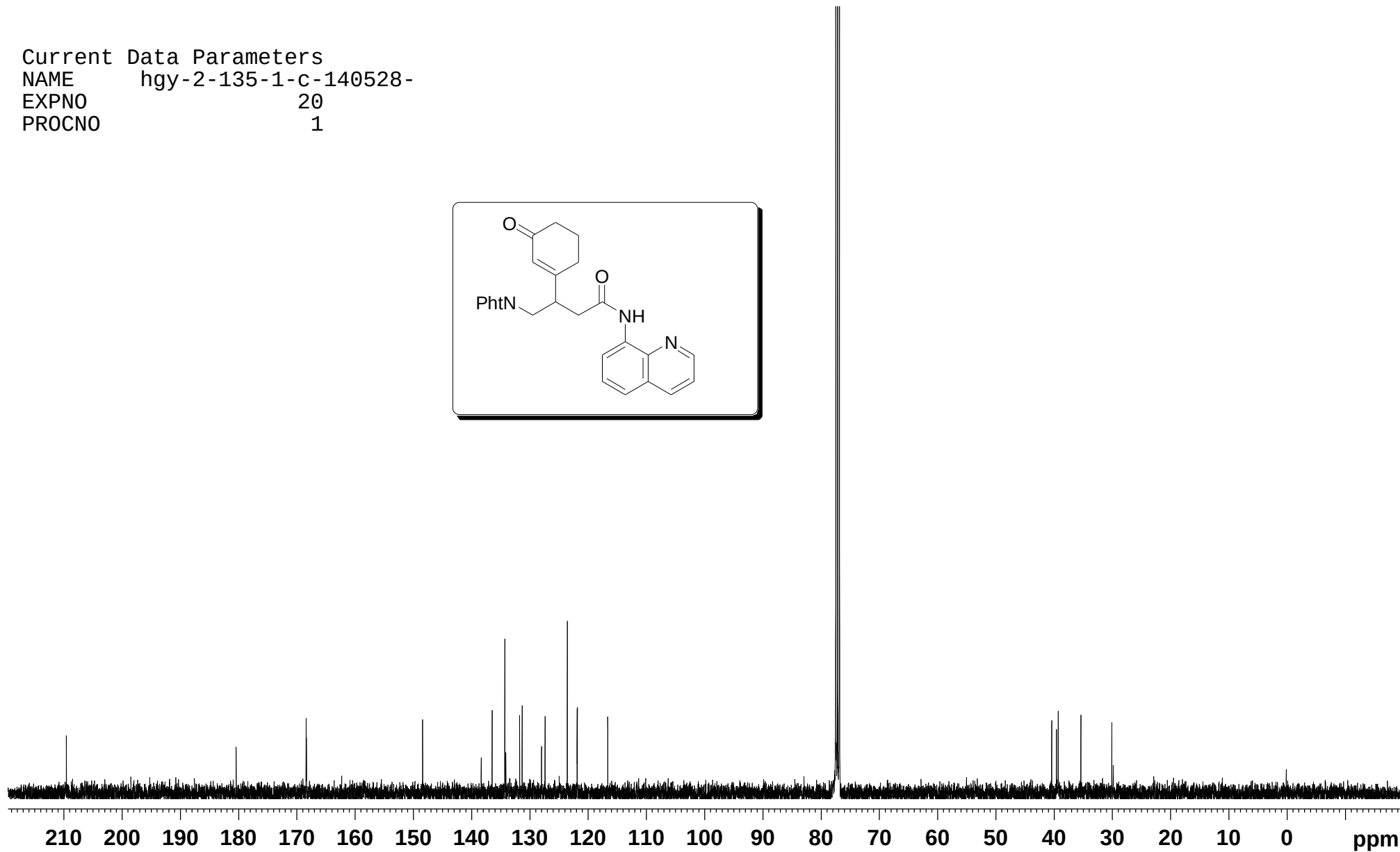
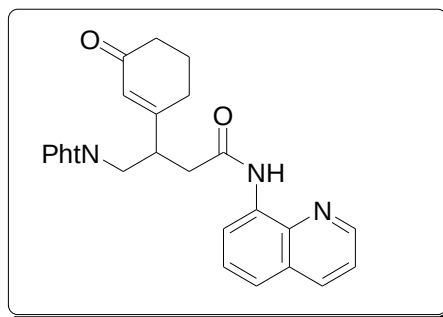
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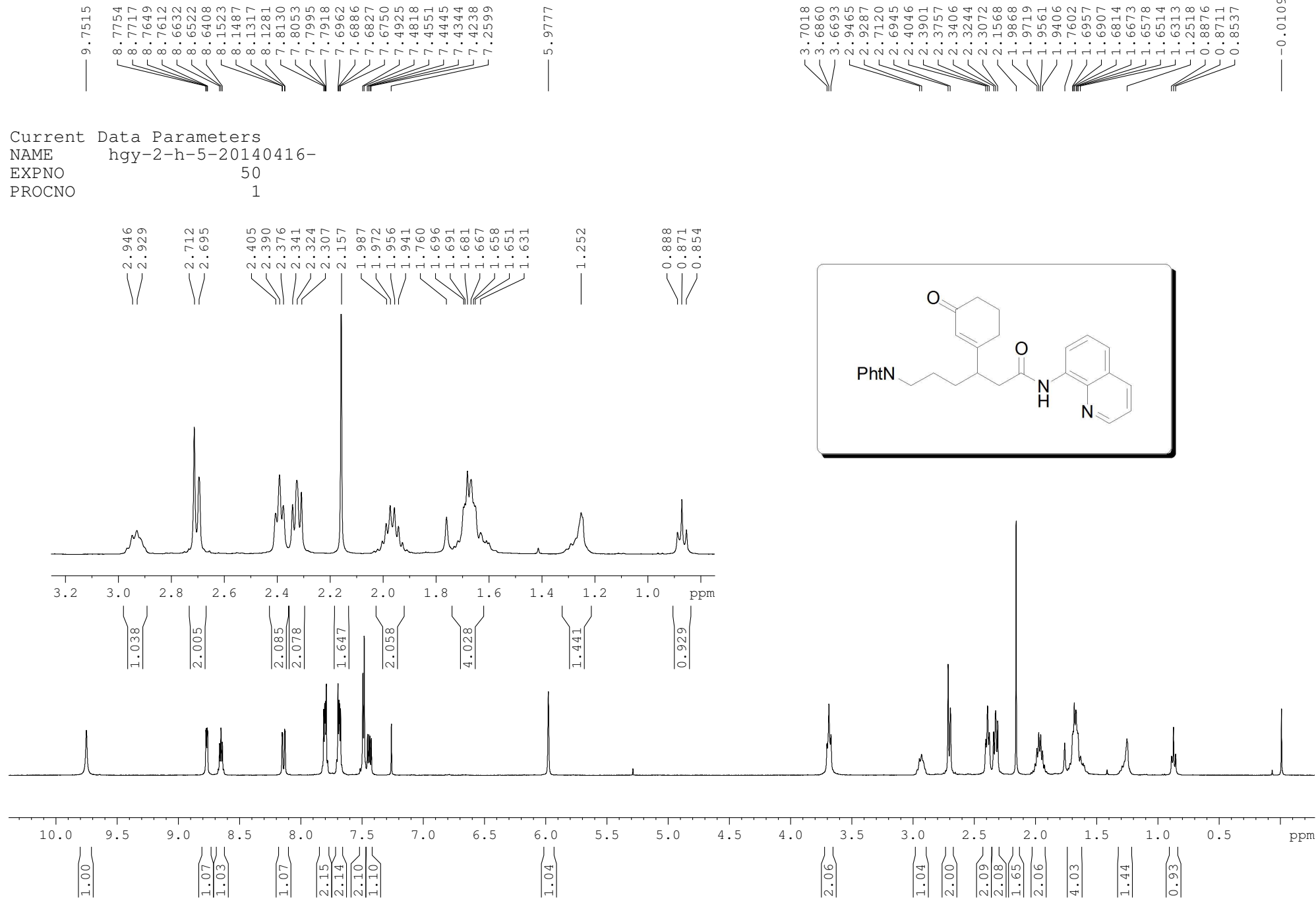
Current Data Parameters

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EXPNO 20

PROCNO 1





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— 148.32

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116.61

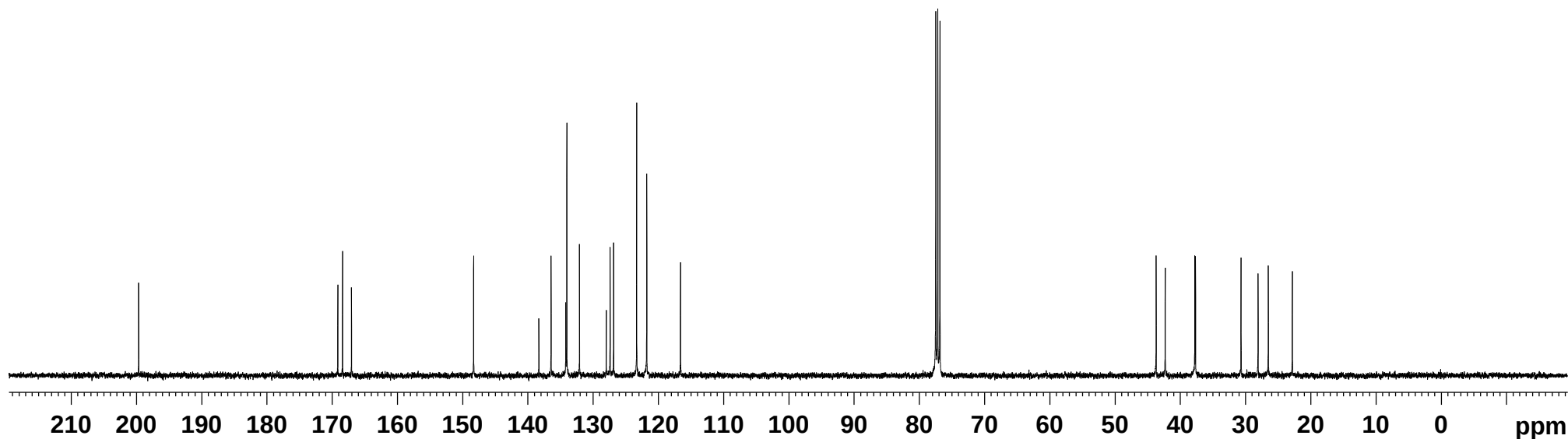
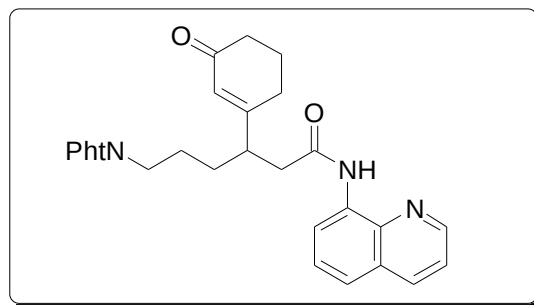
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28.07
26.50
22.82

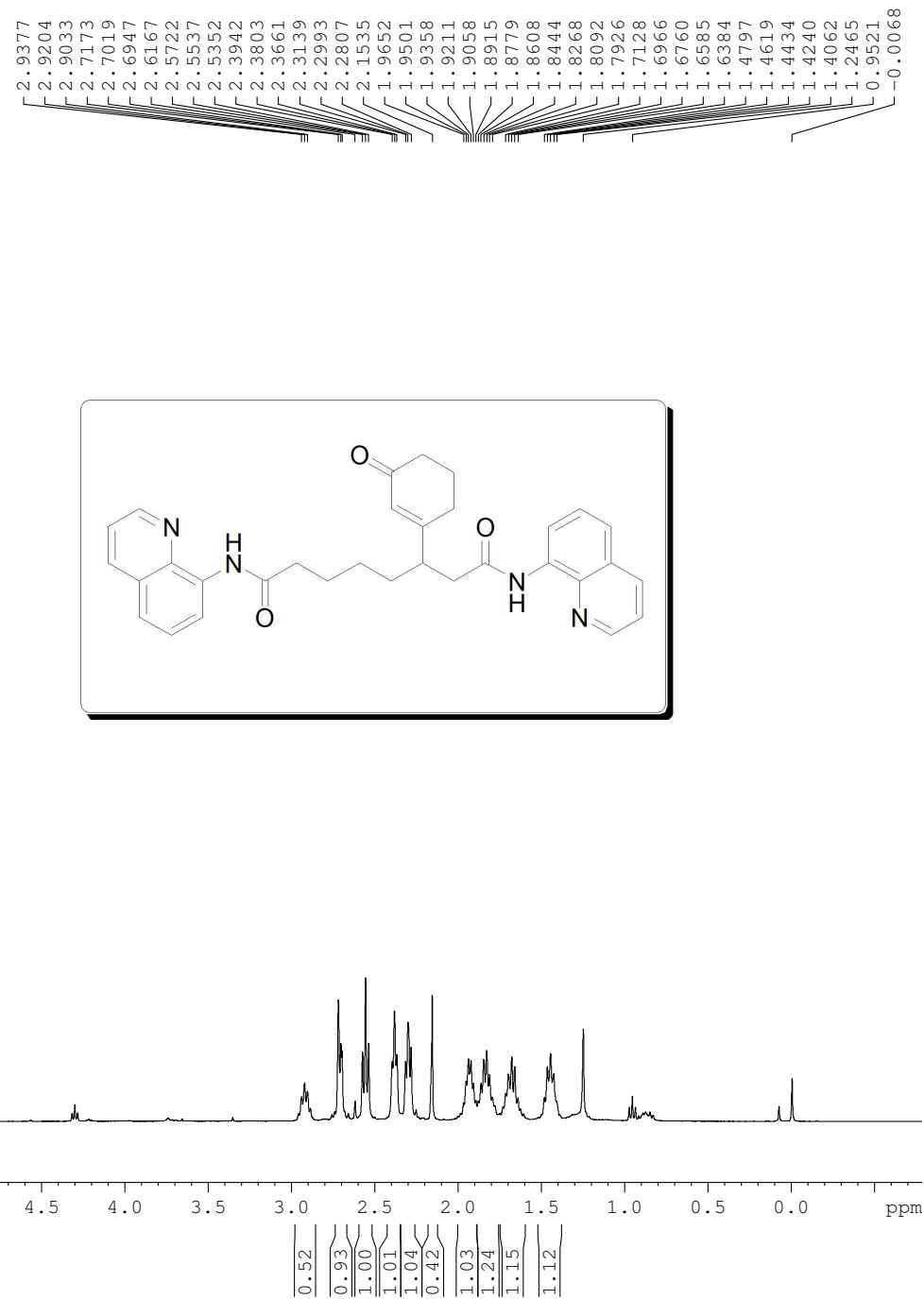
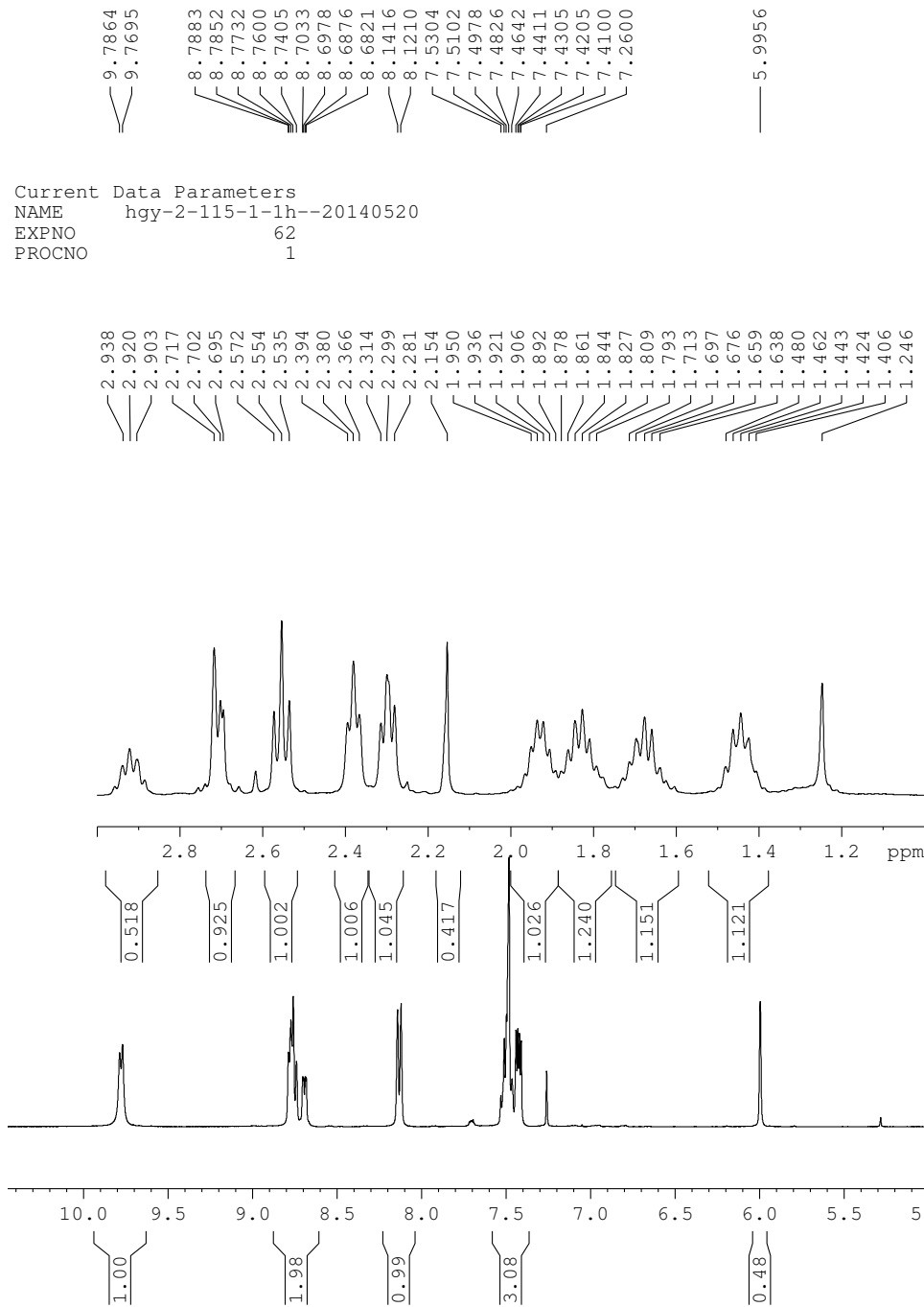
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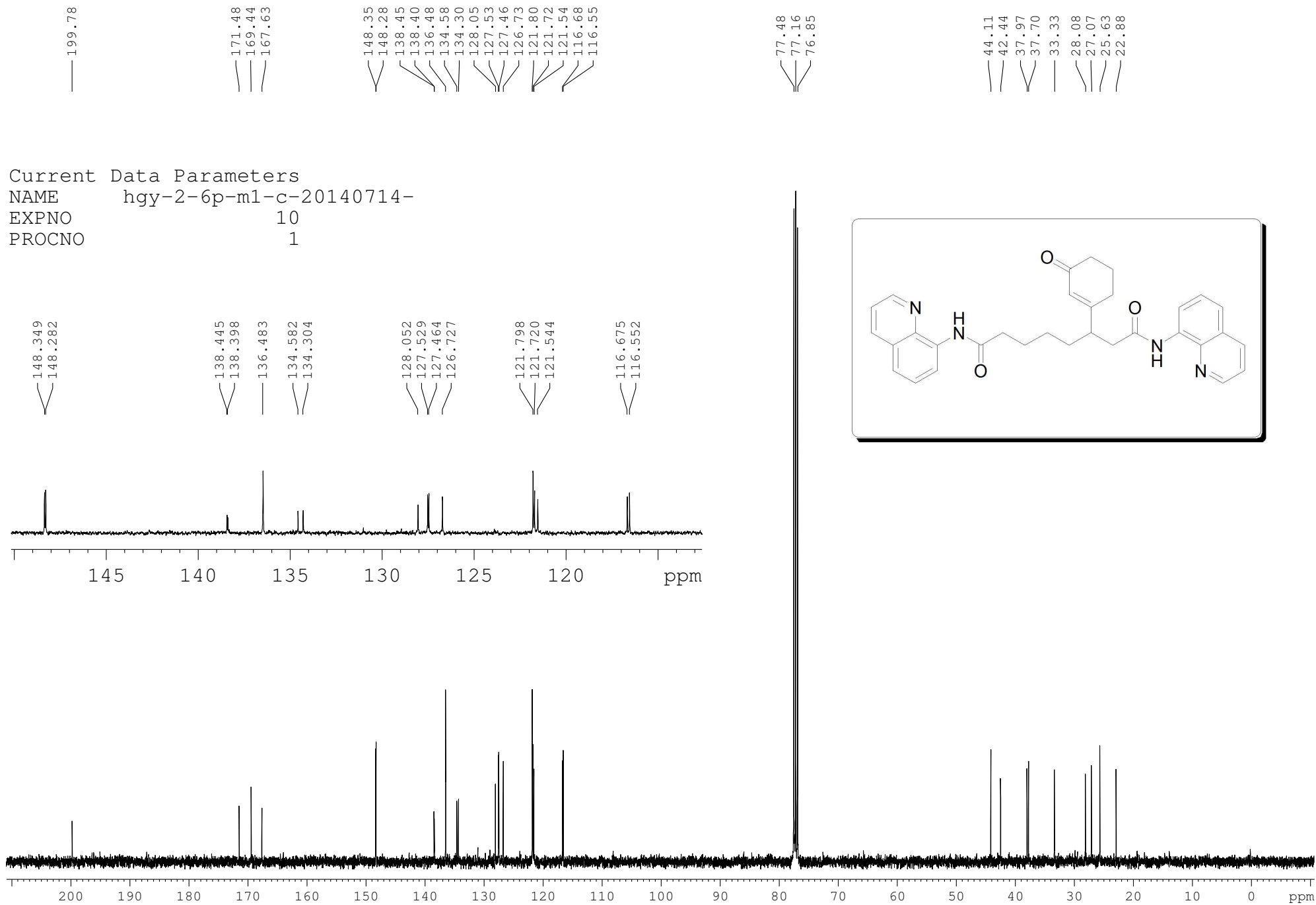
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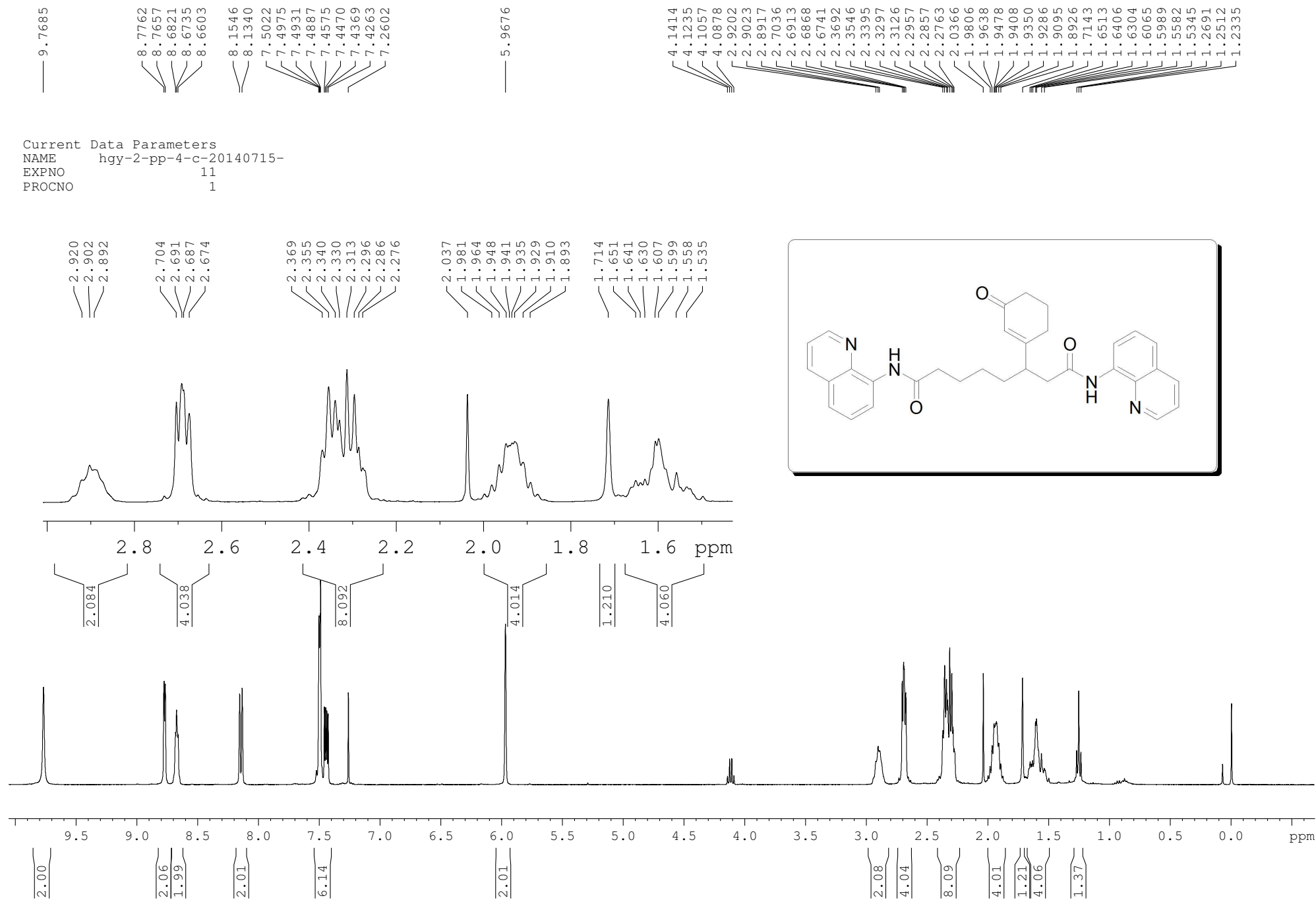
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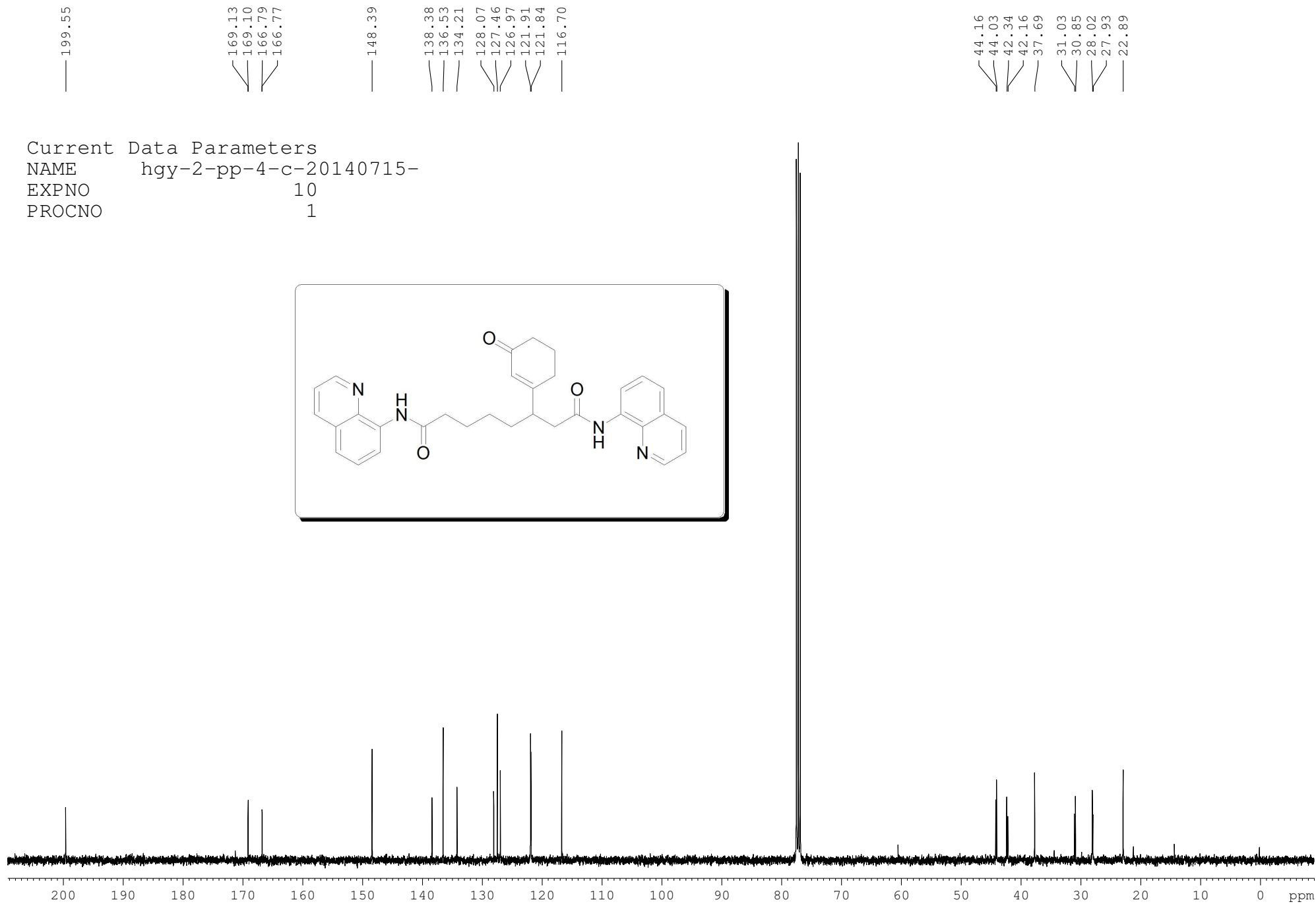
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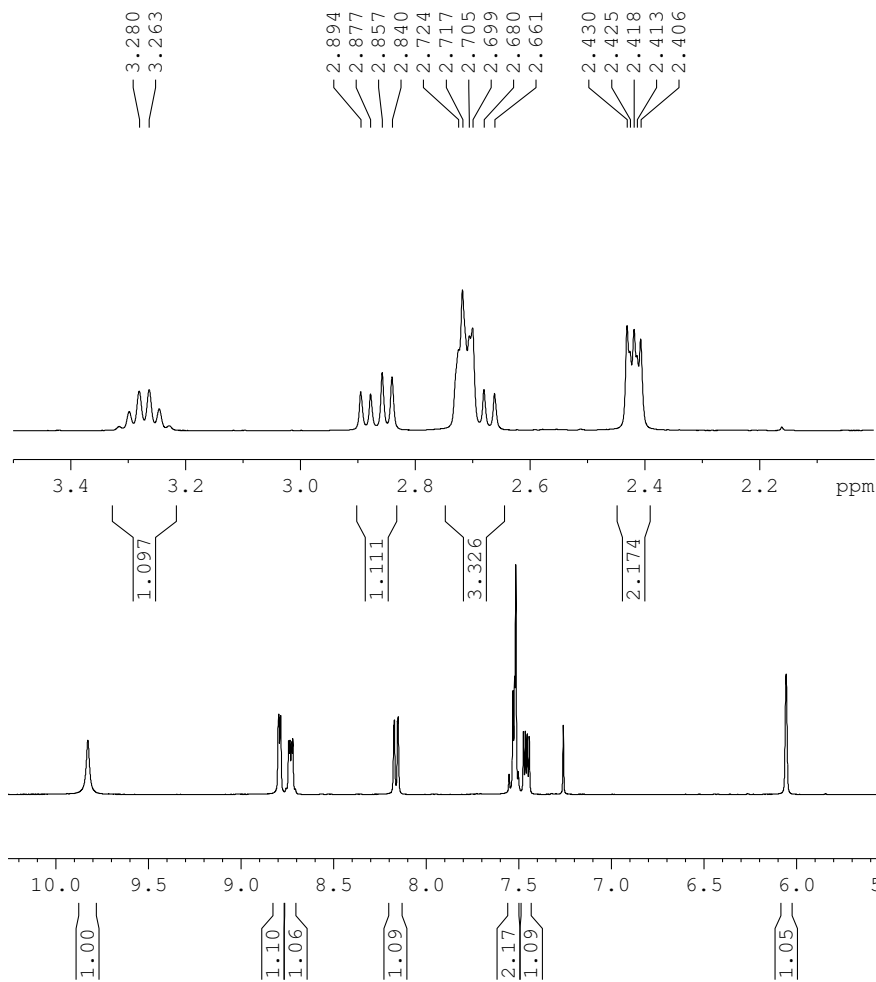




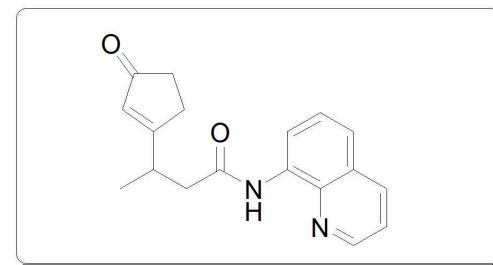








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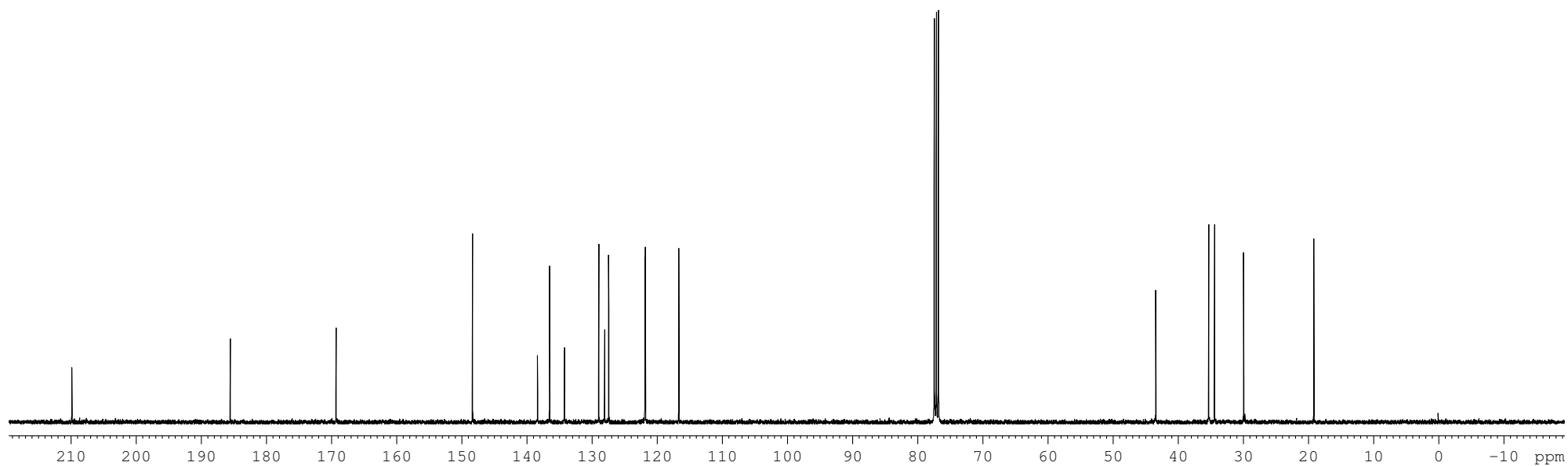
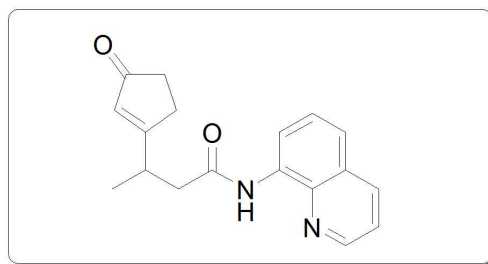
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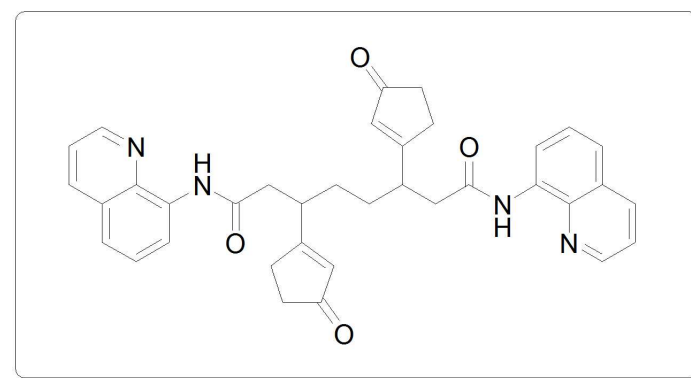
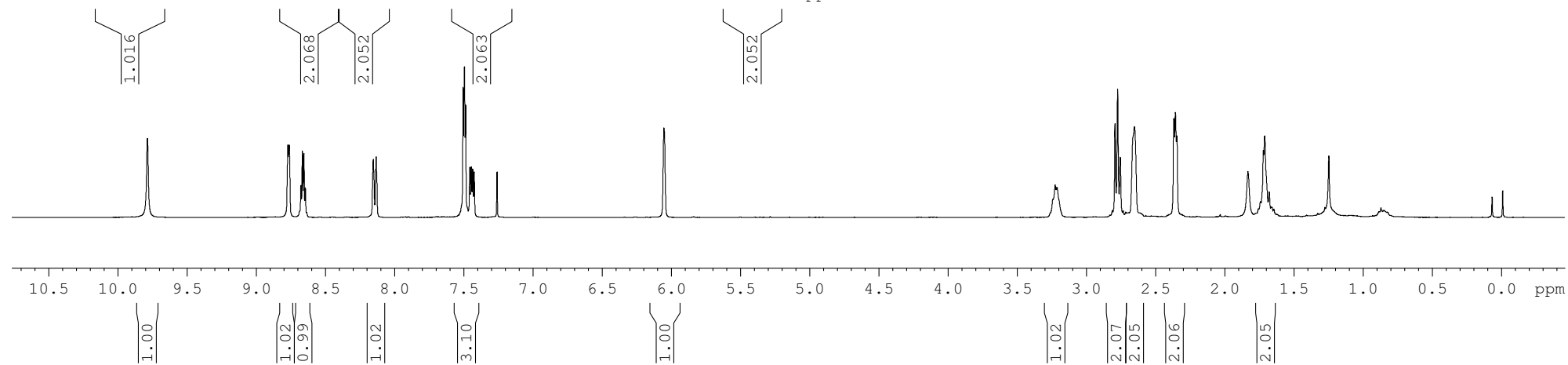
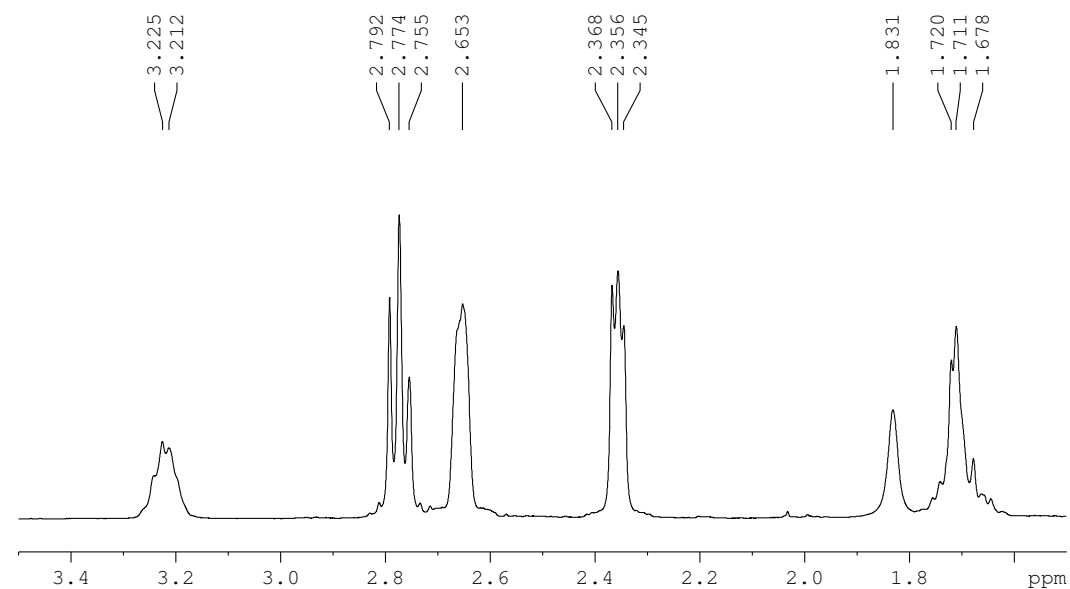
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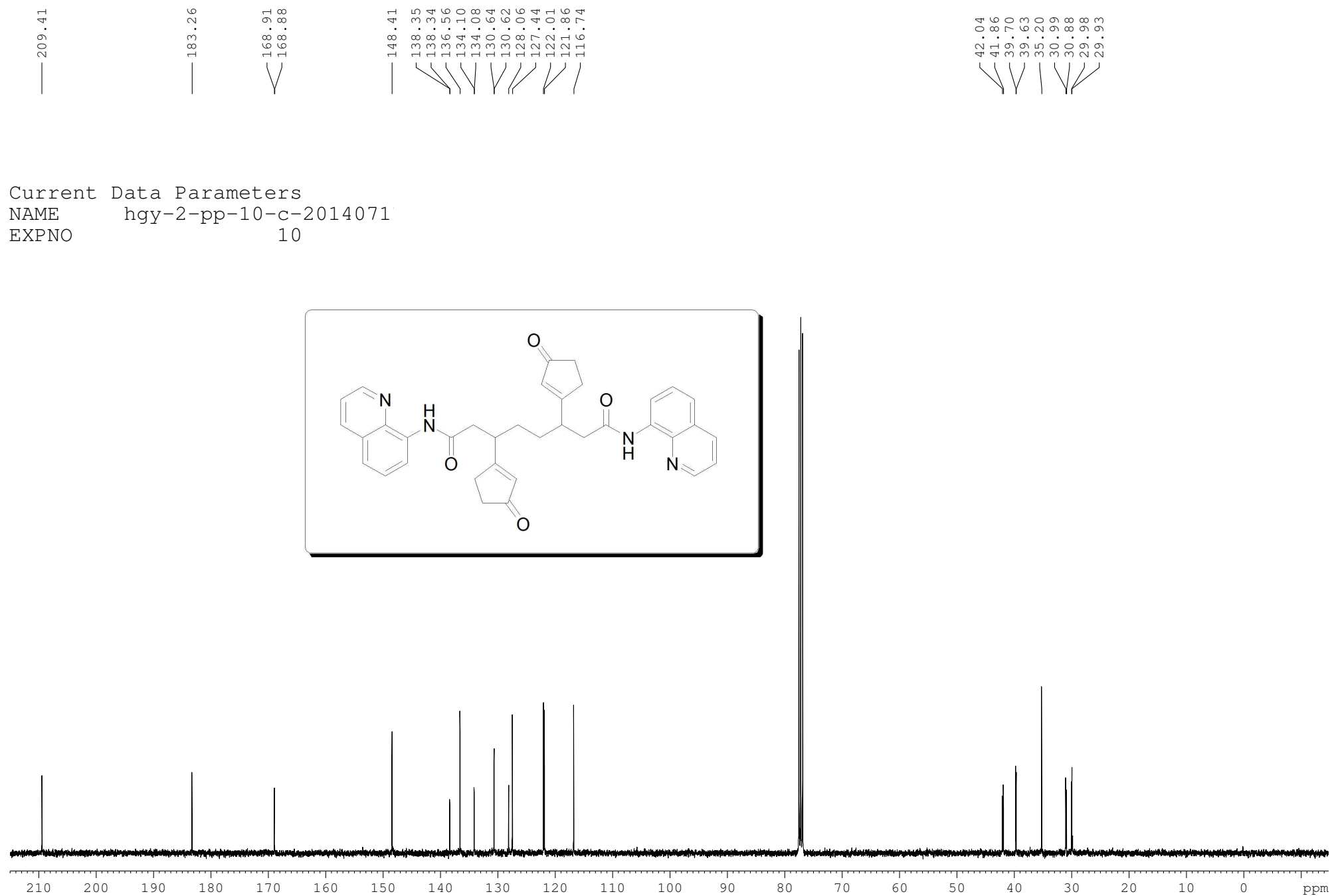
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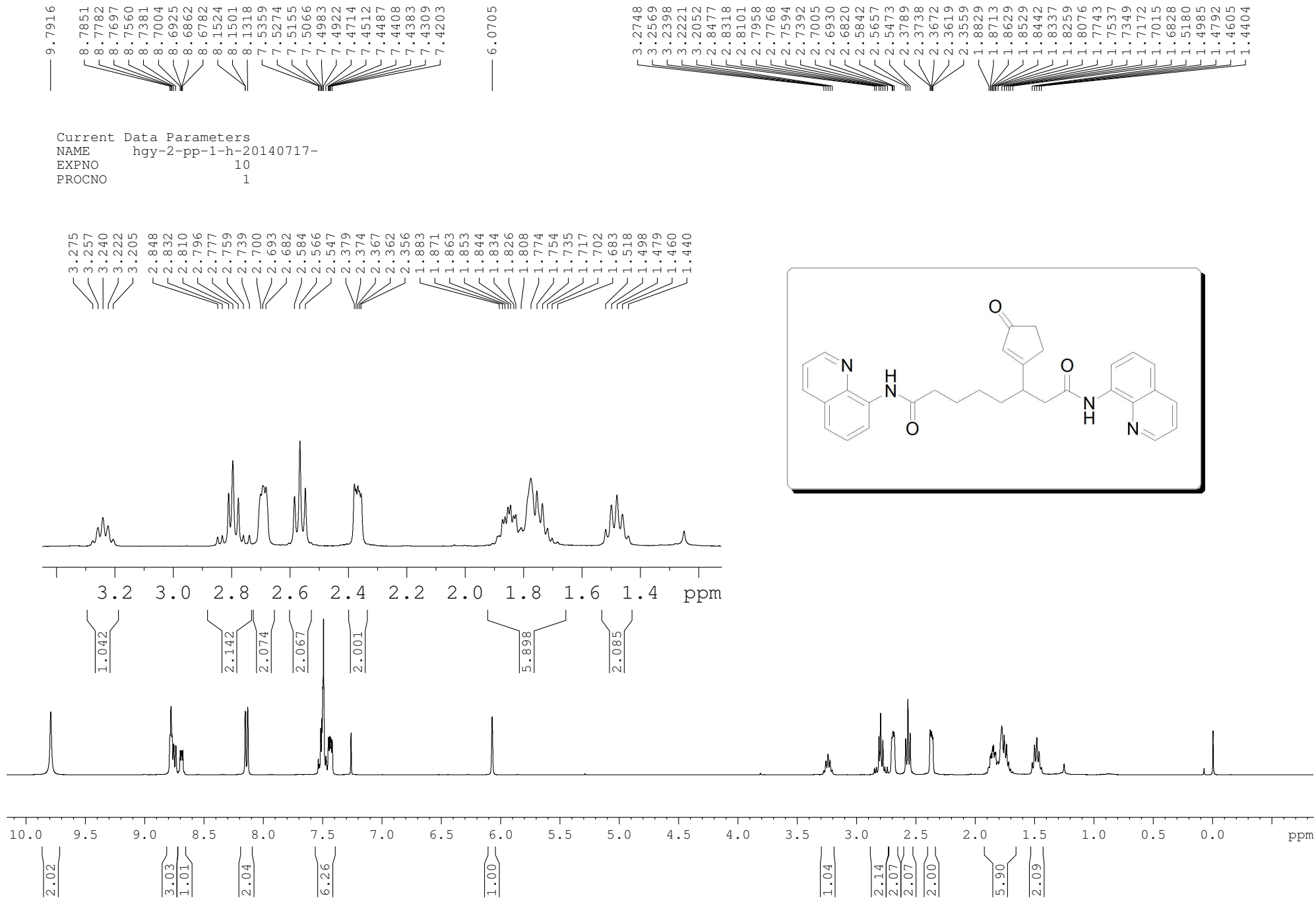
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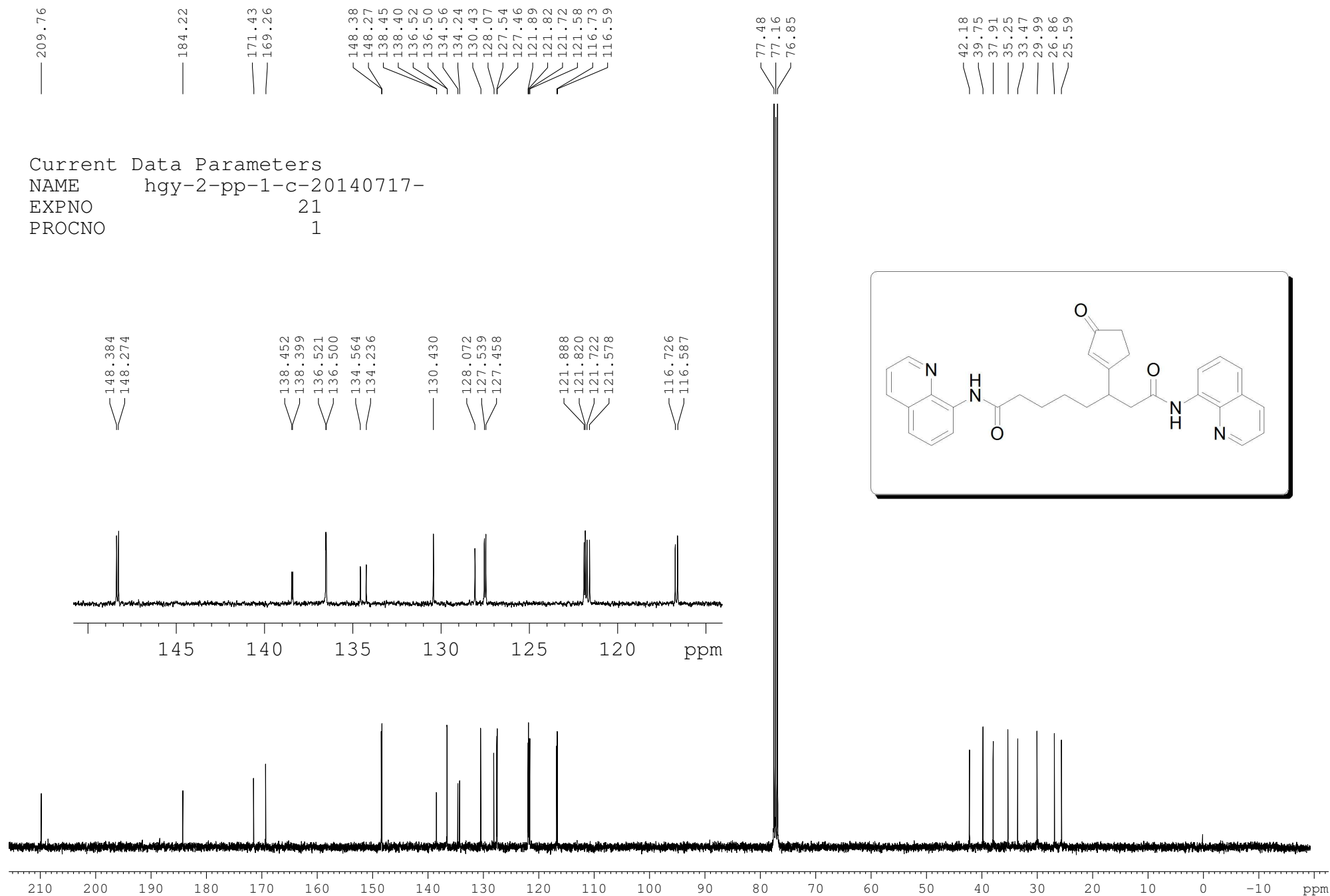


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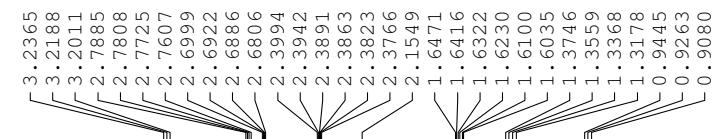
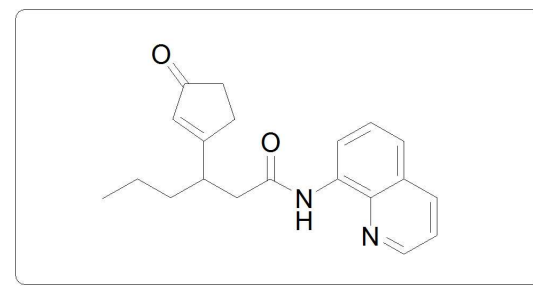
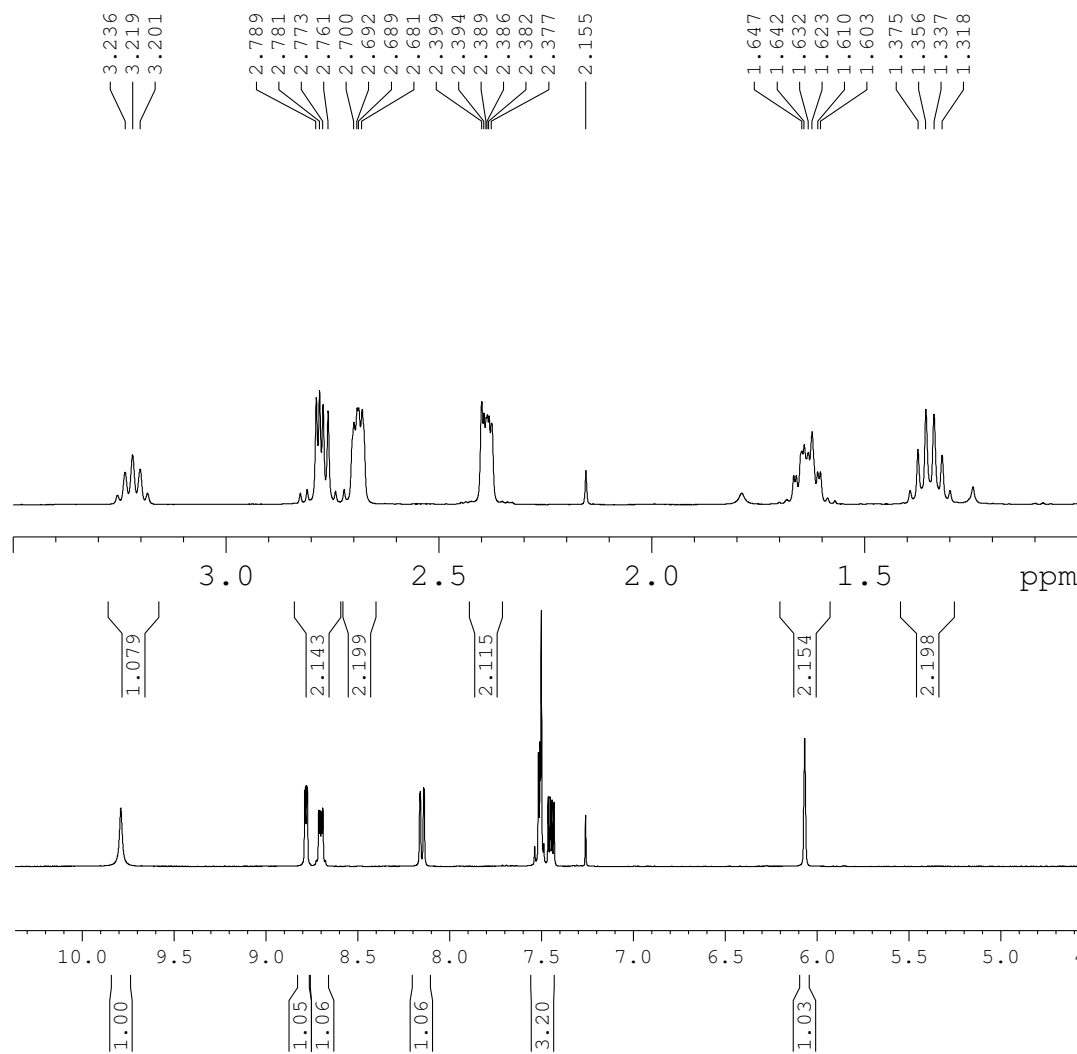








Current Data Parameters
 NAME hgy-2-h-3-20140416-
 EXPNO 80
 PROCNO 1



— 209.84

— 184.61

— 169.38

— 148.36

— 138.37

— 136.53

— 134.24

— 130.29

— 128.05

— 127.46

— 121.86

— 121.82

— 116.65

— 42.27

— 39.62

— 35.93

— 35.24

— 29.99

— 20.41

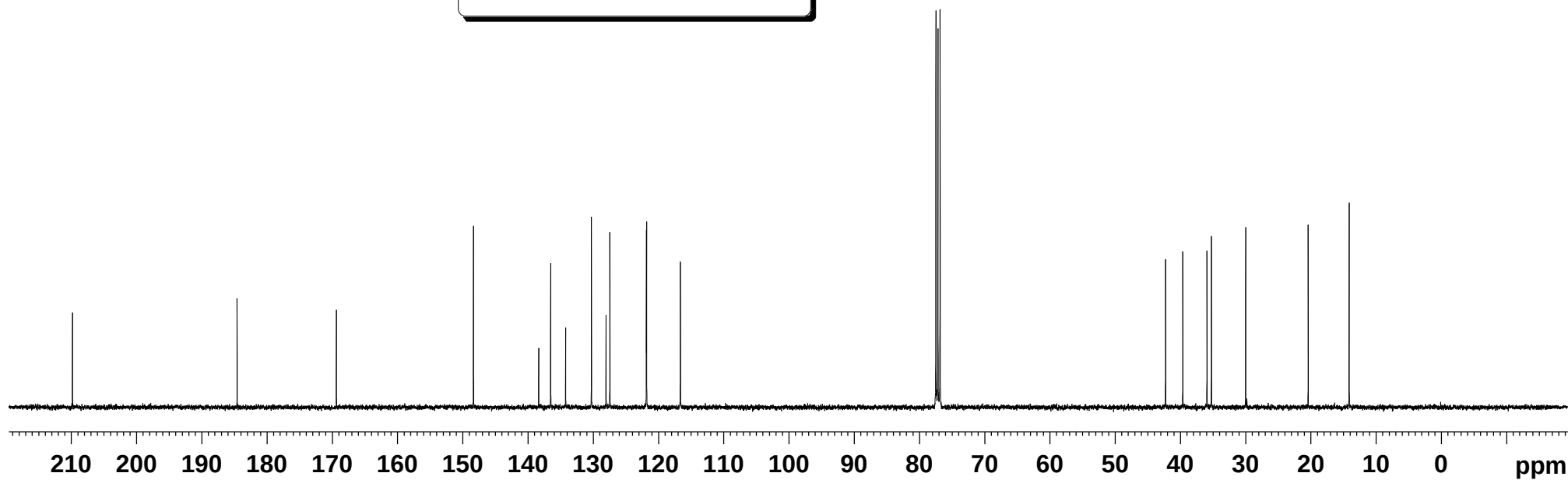
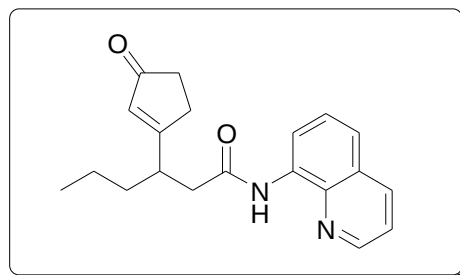
— 14.12

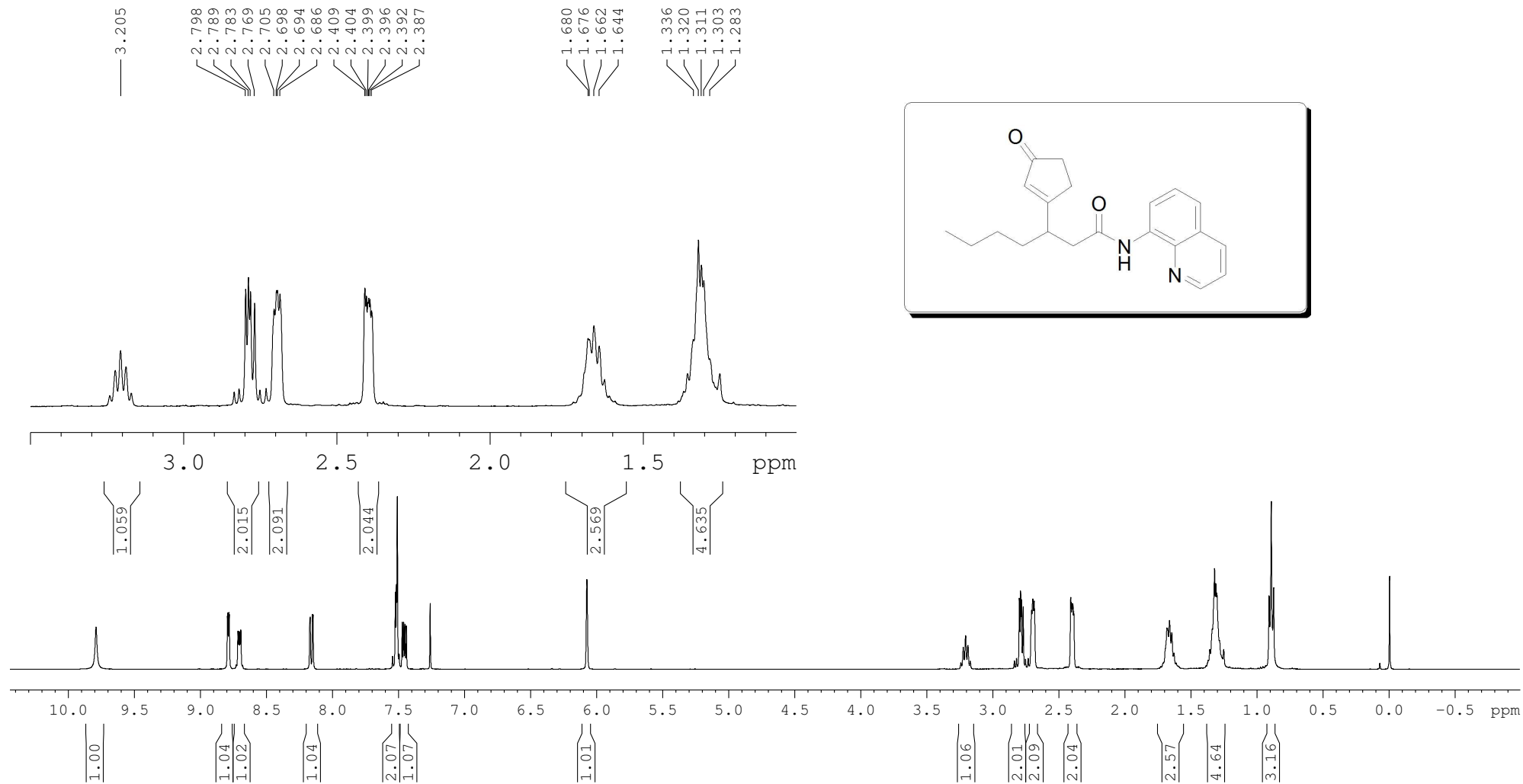
Current Data Parameters

NAME hgy-2-135-3-c-140528-

EXPNO 10

PROCNO 1





Current Data Parameters
NAME hgy-2-h-2-20140416-
EXPNO 80
PROCNO 1

— 209.92
 — 184.69
 — 169.42
 — 148.38
 — 138.40
 — 136.56
 — 134.26
 — 130.32
 — 128.08
 — 127.49
 — 121.88
 — 121.84
 — 116.69

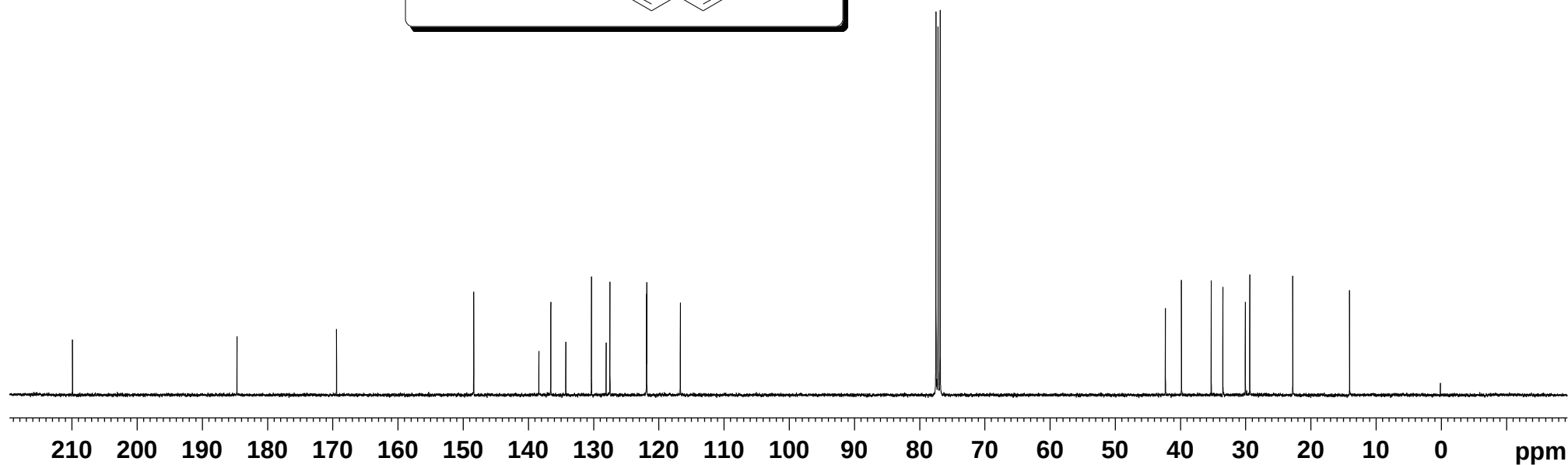
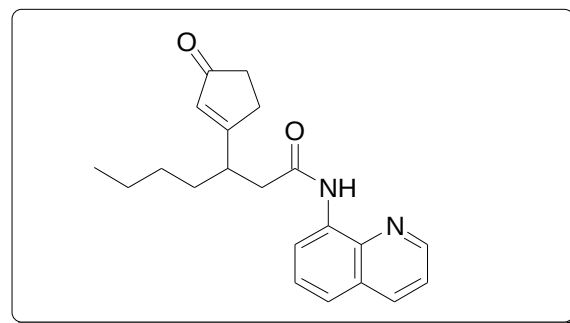
— 42.30
 — 39.84
 — 35.28
 — 33.48
 — 30.04
 — 29.36
 — 22.76

Current Data Parameters

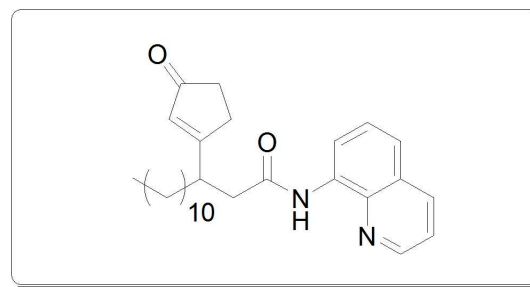
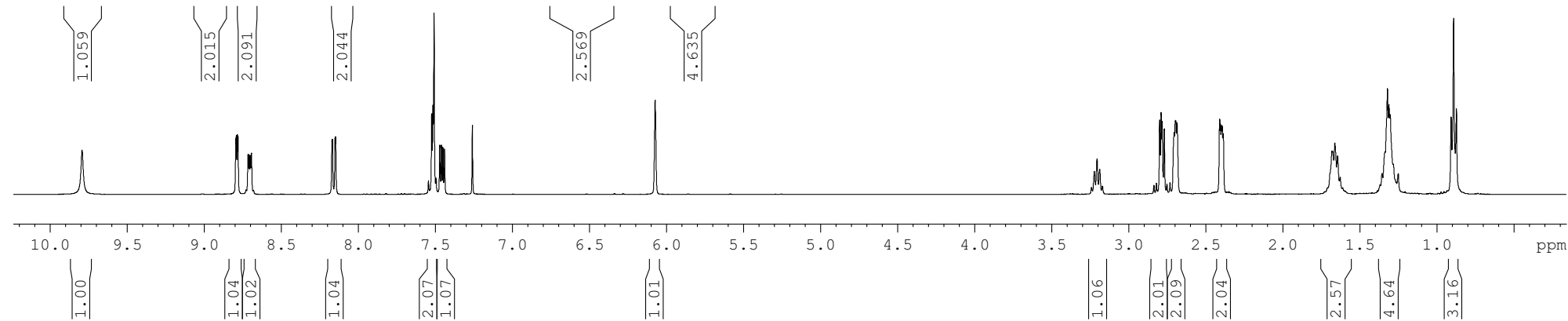
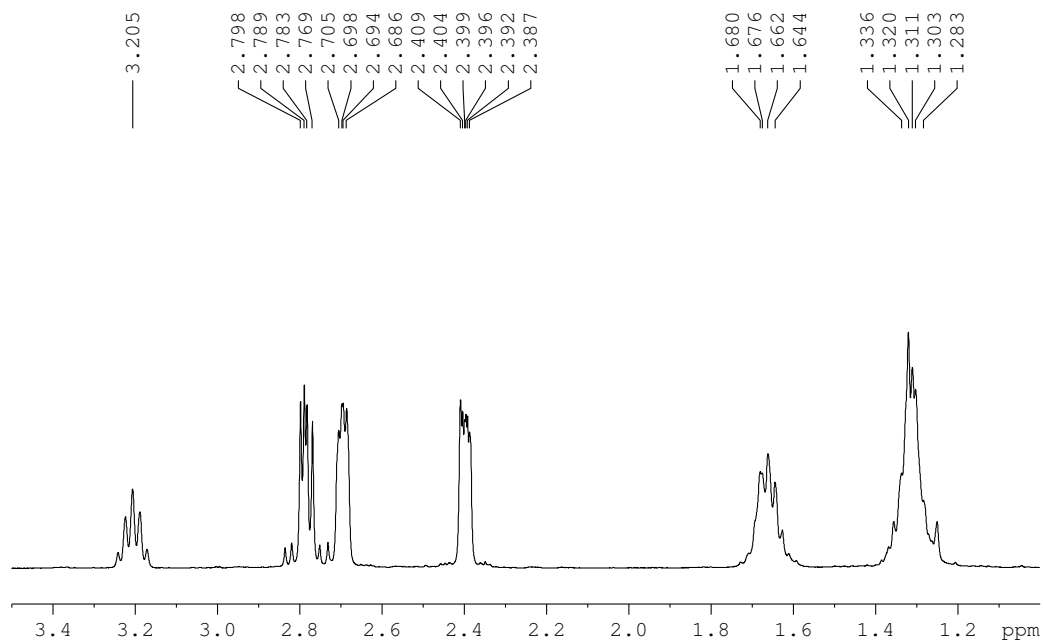
NAME hgy-2-135-2-c-140528-

EXPNO 10

PROCNO 1



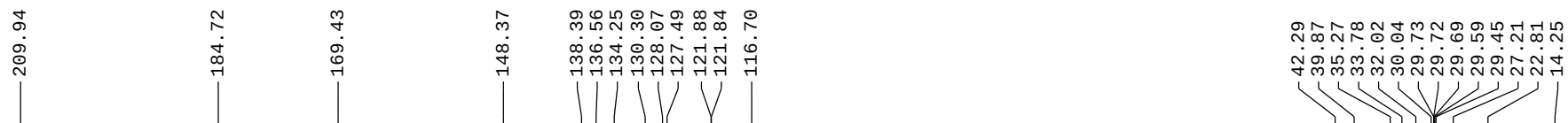
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 NAME hgy-2-h-2-20140416-
 EXPNO 80
 PROCNO 1



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 8.7812
 8.7160
 8.7087
 8.7011
 8.6938
 8.1716
 8.1680
 8.1510
 8.1473
 7.5239
 7.5159
 7.5087
 7.4717
 7.4612
 7.4511
 7.4405
 7.2599

6.0731

3.2053
 2.7982
 2.7892
 2.7825
 2.7691
 2.7055
 2.6976
 2.6943
 2.6861
 2.4089
 2.4041
 2.3991
 2.3960
 2.3921
 2.3872
 1.6803
 1.6756
 1.6615
 1.6443
 1.3363
 1.3200
 1.3105
 1.3026
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 0.8902
 0.8724

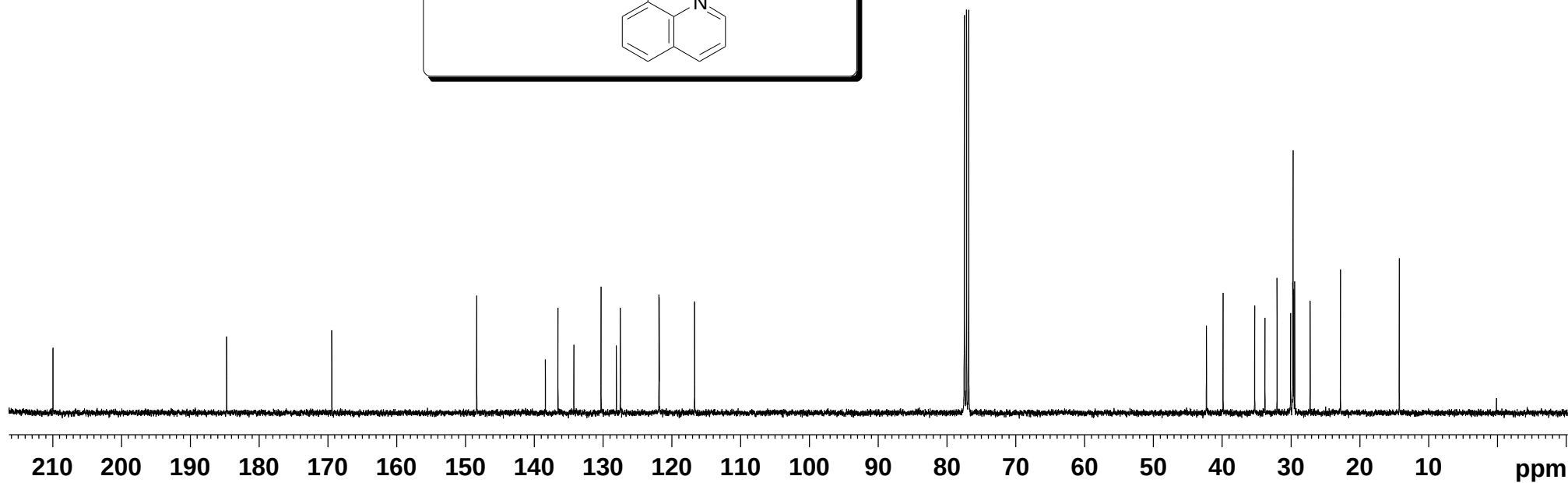
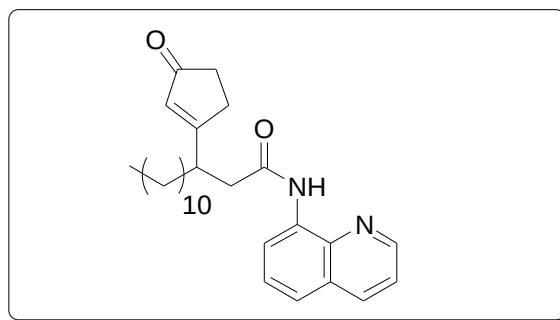


Current Data Parameters

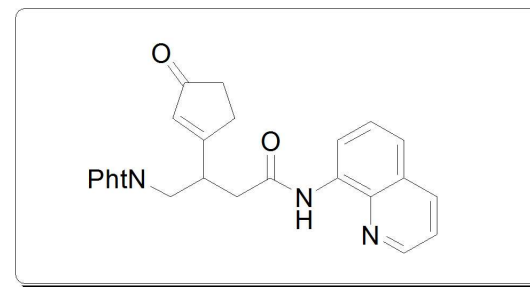
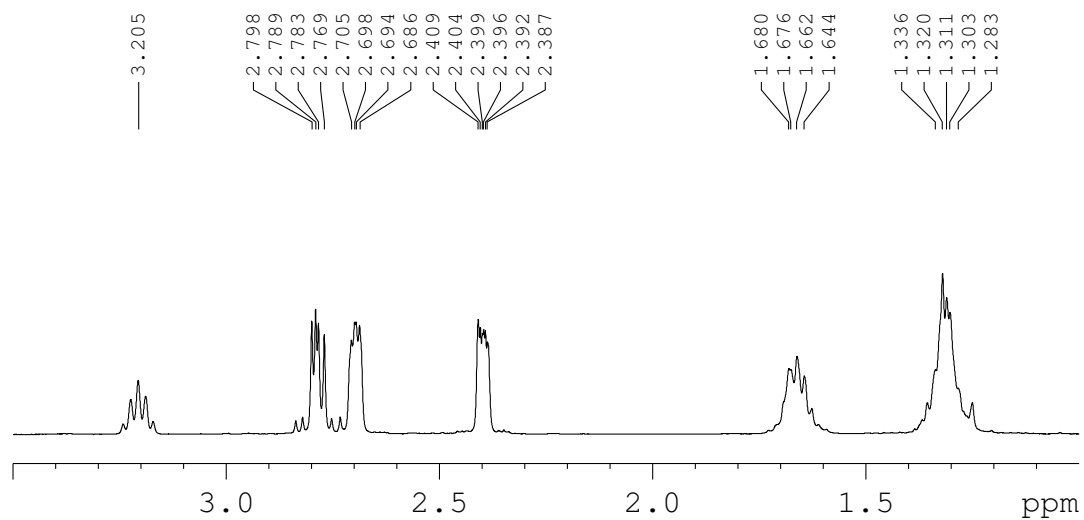
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EXPNO 10

PROCNO 1



Current Data Parameters
 NAME hgy-2-h-2-20140416-
 EXPNO 80
 PROCNO 1

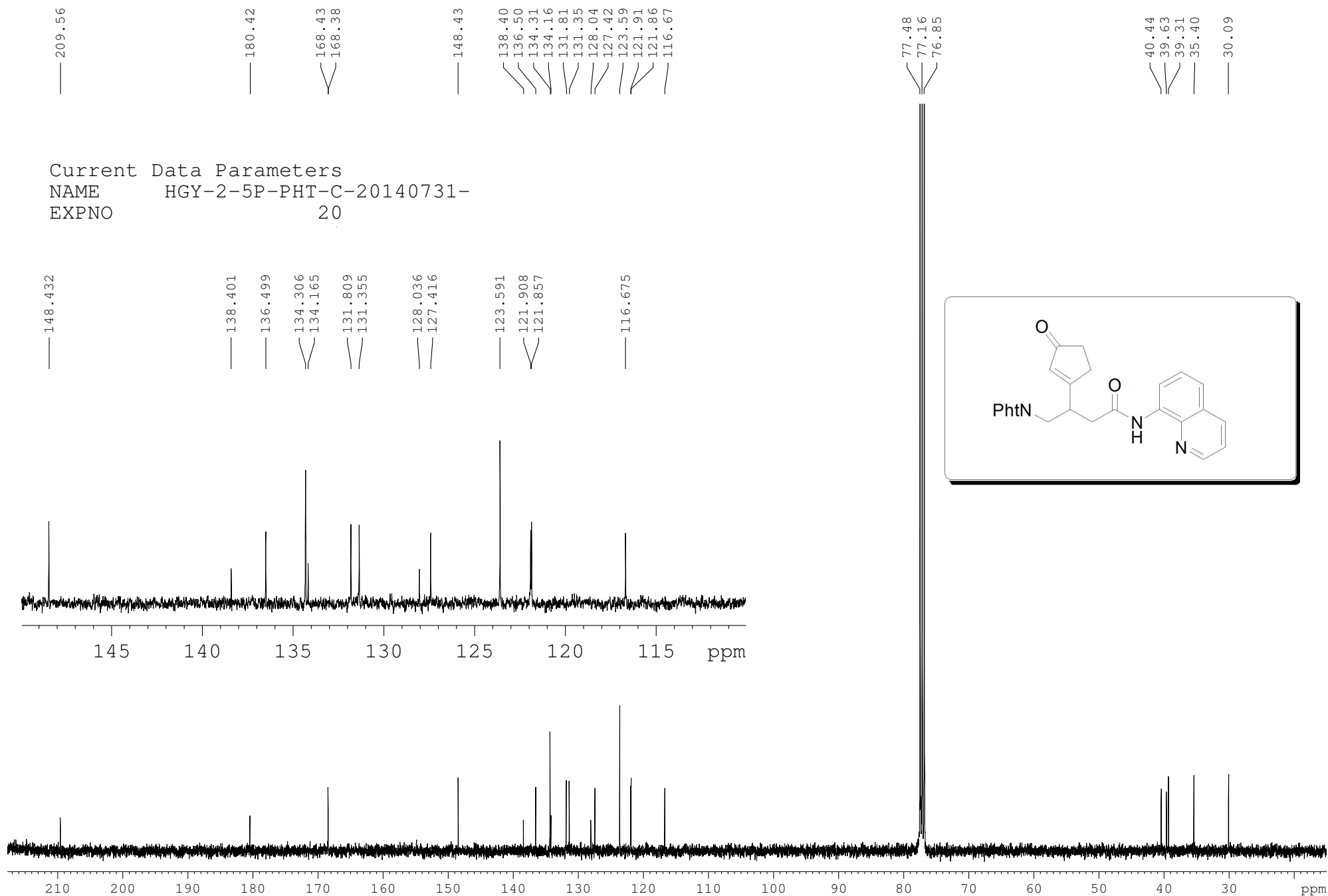


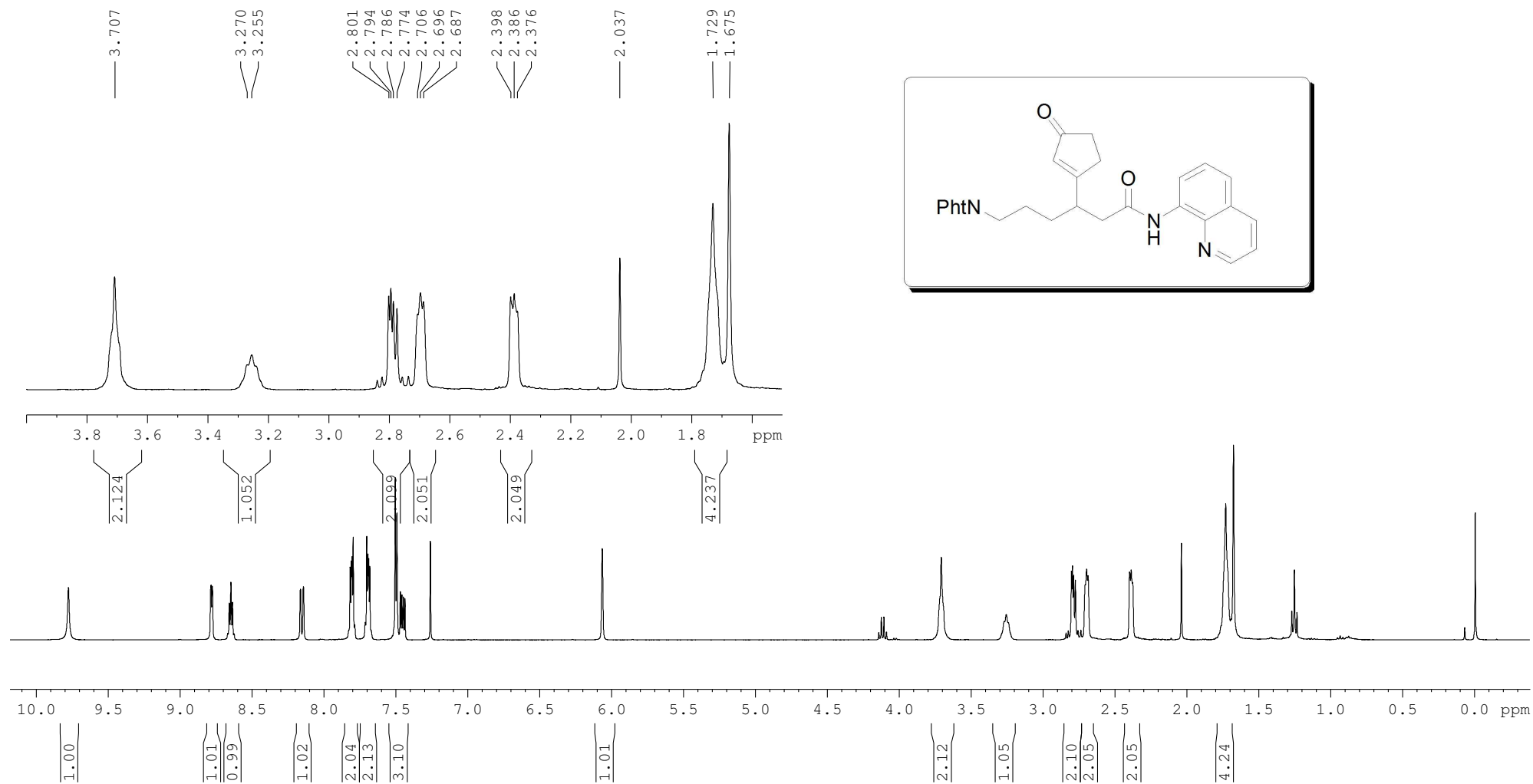
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 8.7917
 8.7849
 8.7812
 8.7160
 8.7087
 8.7011
 8.6938
 8.1716
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 8.1510
 8.1473
 7.5239
 7.5159
 7.5087
 7.4717
 7.4612
 7.4511
 7.4405
 7.2599

6.0731

3.2053
 2.7982
 2.7892
 2.7825
 2.7691
 2.7055
 2.6976
 2.6943
 2.6861
 2.4089
 2.4041
 2.3991
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 1.3363
 1.3200
 1.3105
 1.3026
 1.2833
 0.9070
 0.8902
 0.8724

0.0052





Current Data Parameters
 NAME hgy-2-pp-6-c-20140715-
 EXPNO 11
 PROCNO 1

— 209.63

— 183.61

169.00
168.47

— 148.41

138.40
136.53
134.20
134.11
132.16
130.61
128.07
127.46
123.40
121.91
121.85
116.72

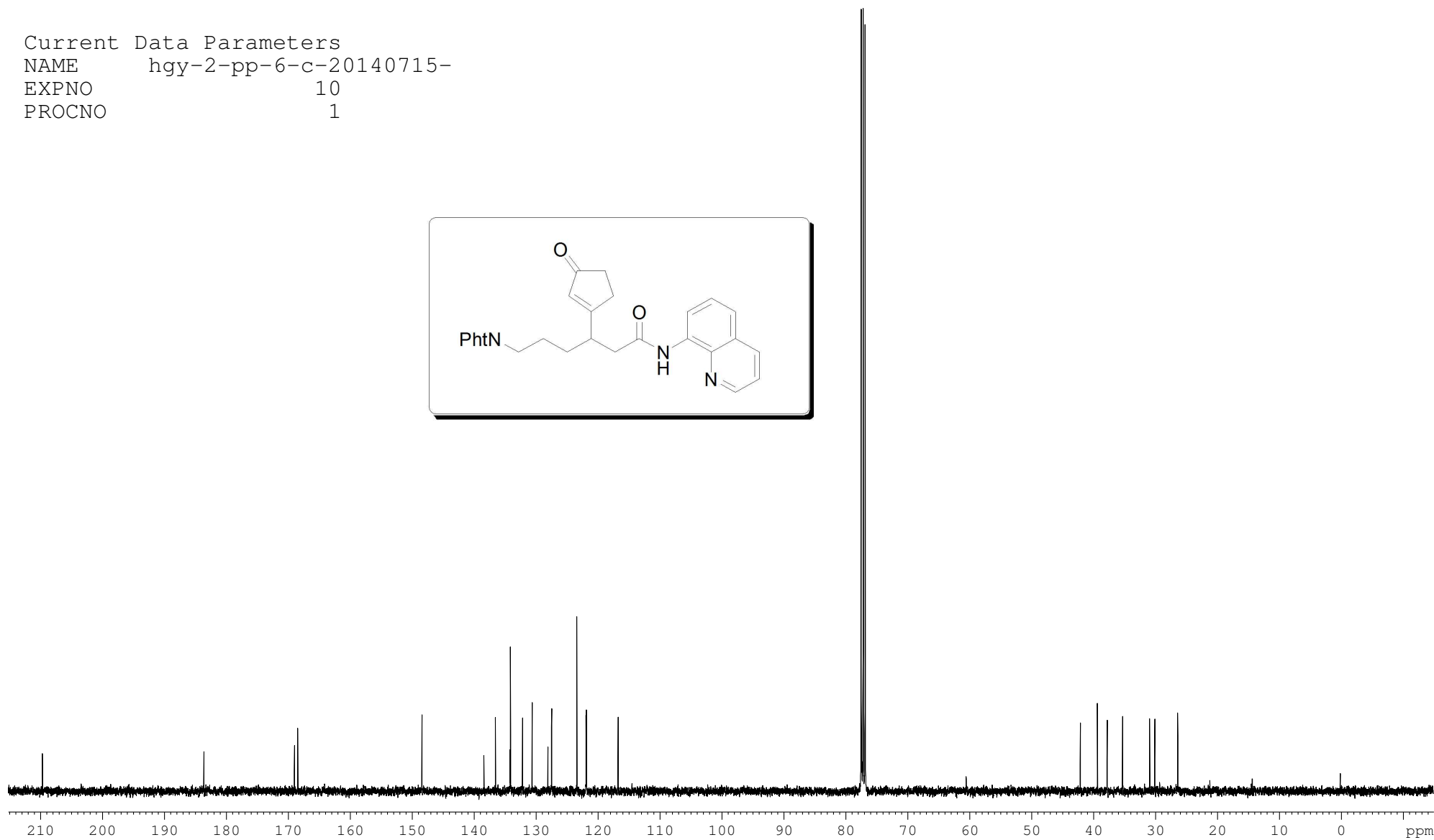
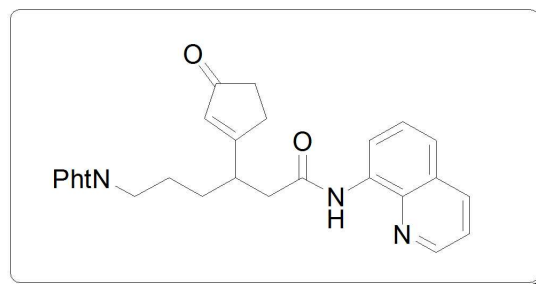
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35.27
30.90
30.08
26.36

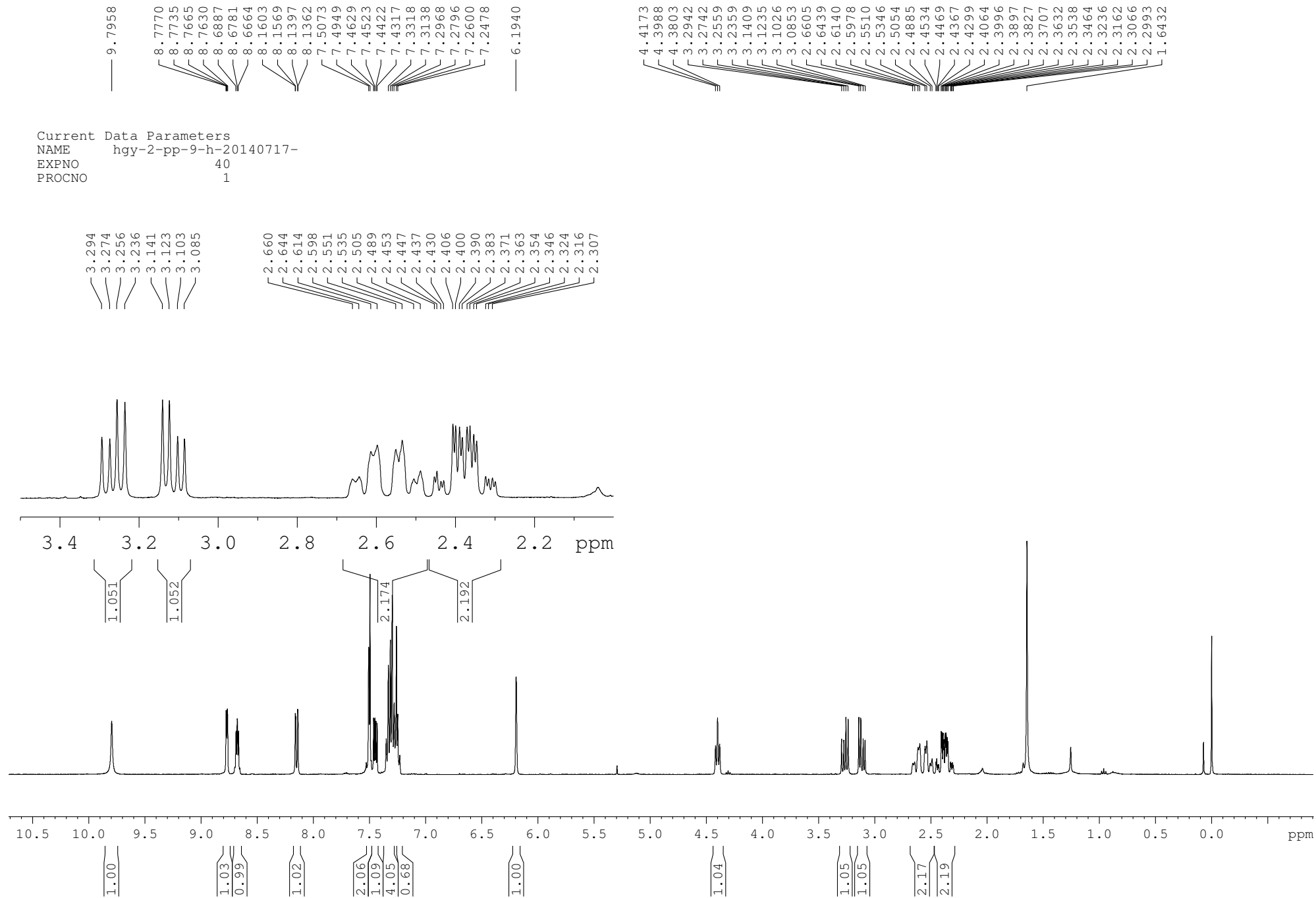
Current Data Parameters

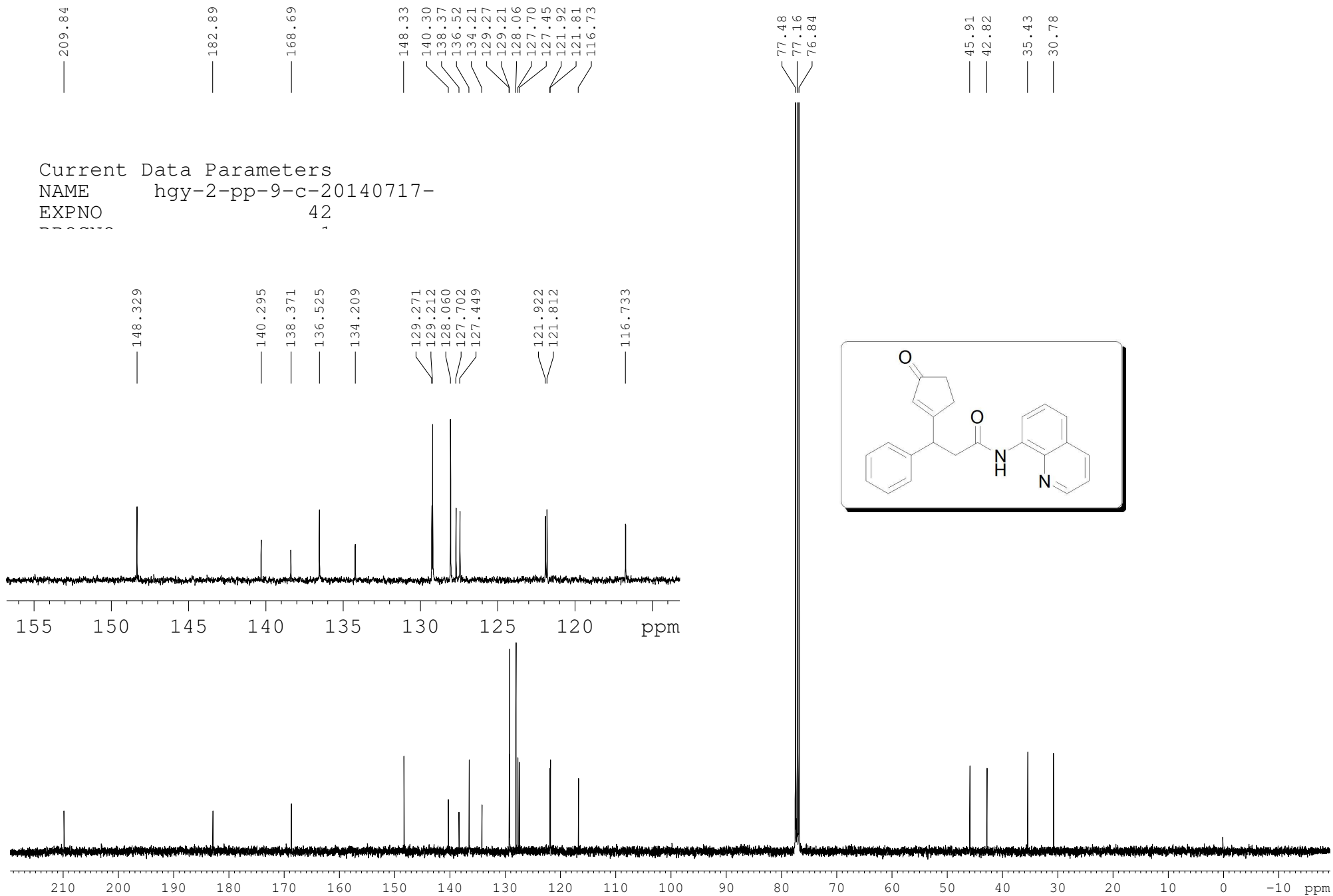
NAME hgy-2-pp-6-c-20140715-

EXPNO 10

PROCNO 1





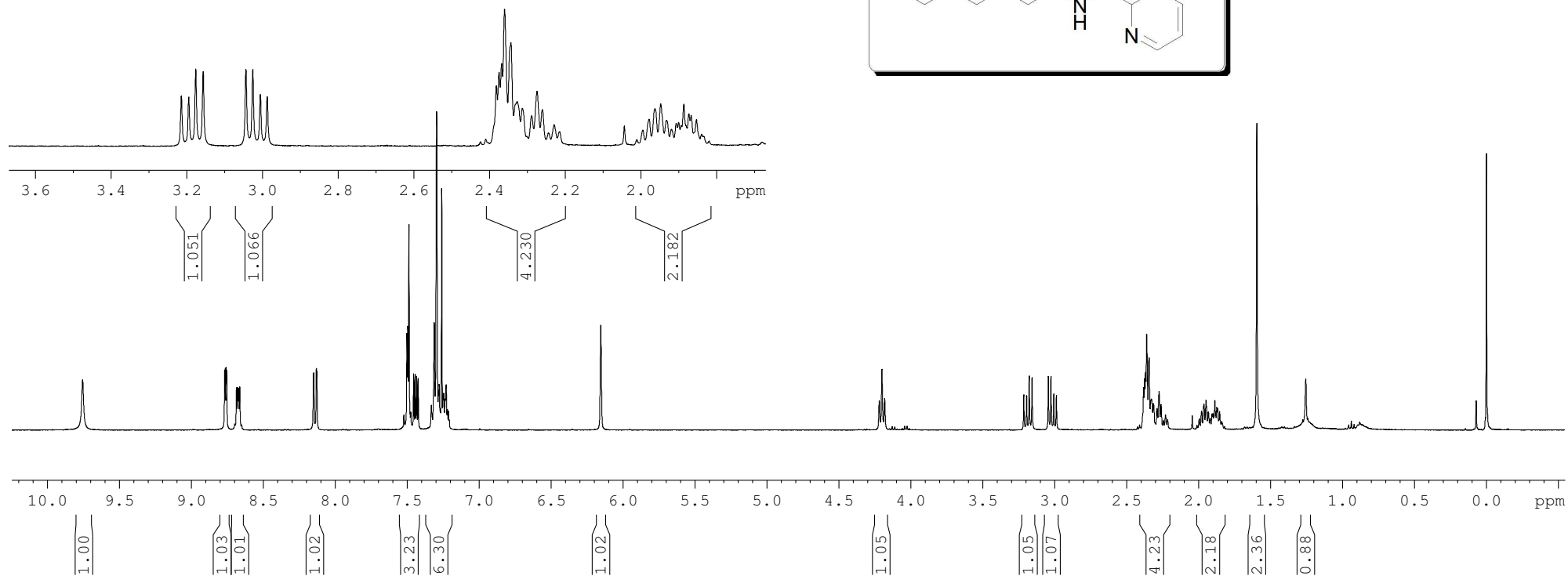
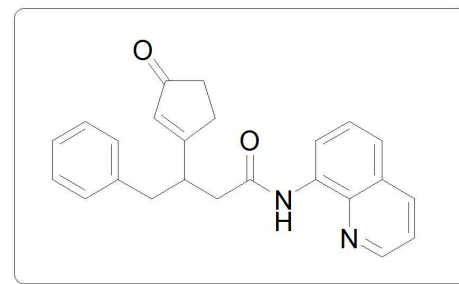


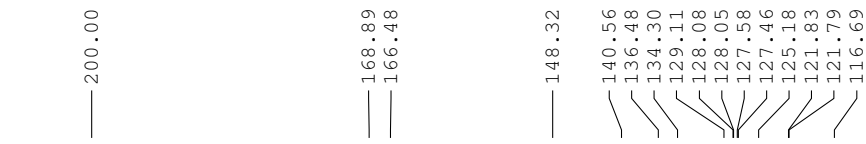
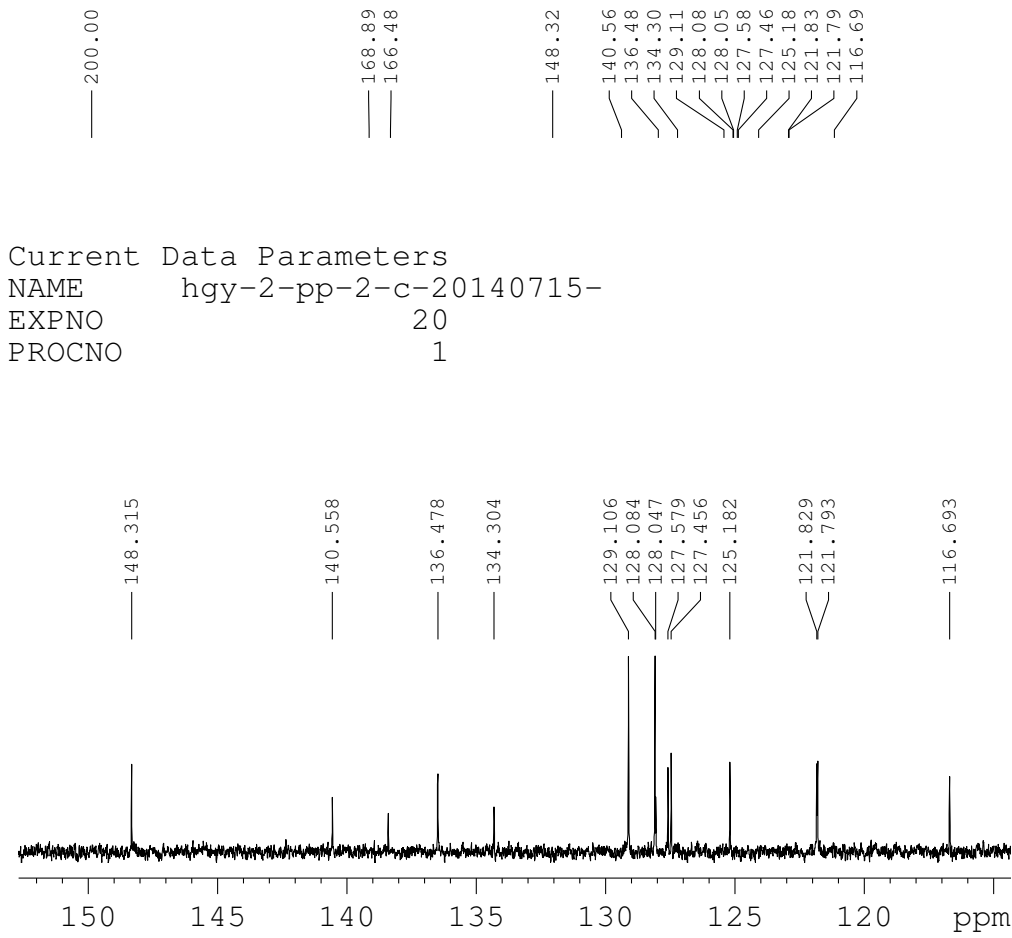
— 9.7531
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 8.7647
 8.7580
 8.7542
 8.6862
 8.6782
 8.6720
 8.6639
 8.1520
 8.1482
 8.1313
 8.1275
 7.5020
 7.4955
 7.4876
 7.4550
 7.4444
 7.4343
 7.4238
 7.3317
 7.3121
 7.2953
 7.2779
 7.2598
 7.2504
 7.2455
 7.2361
 7.2291
 6.1545

4.2189
 4.2002
 4.1814
 3.2142
 3.1946
 3.1762
 3.1566
 3.0435
 3.0254
 3.0055
 2.9874
 2.3816
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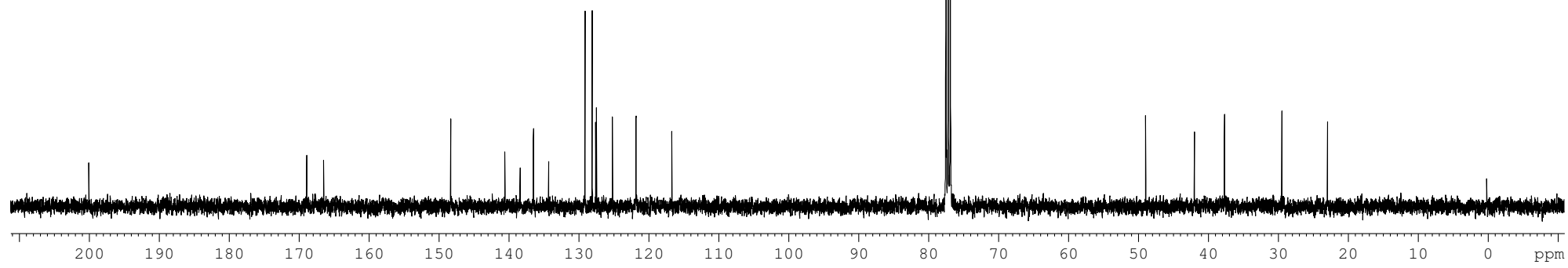
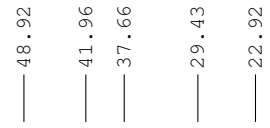
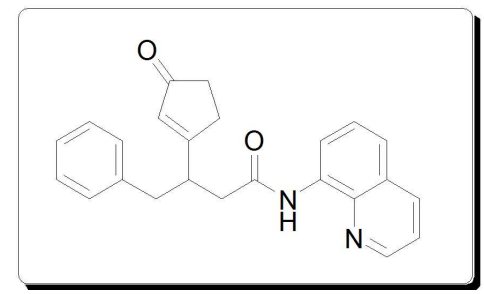
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 NAME hgy-2-5p-bending-h-20140715-
 EXPNO 10
 PROCNO 1

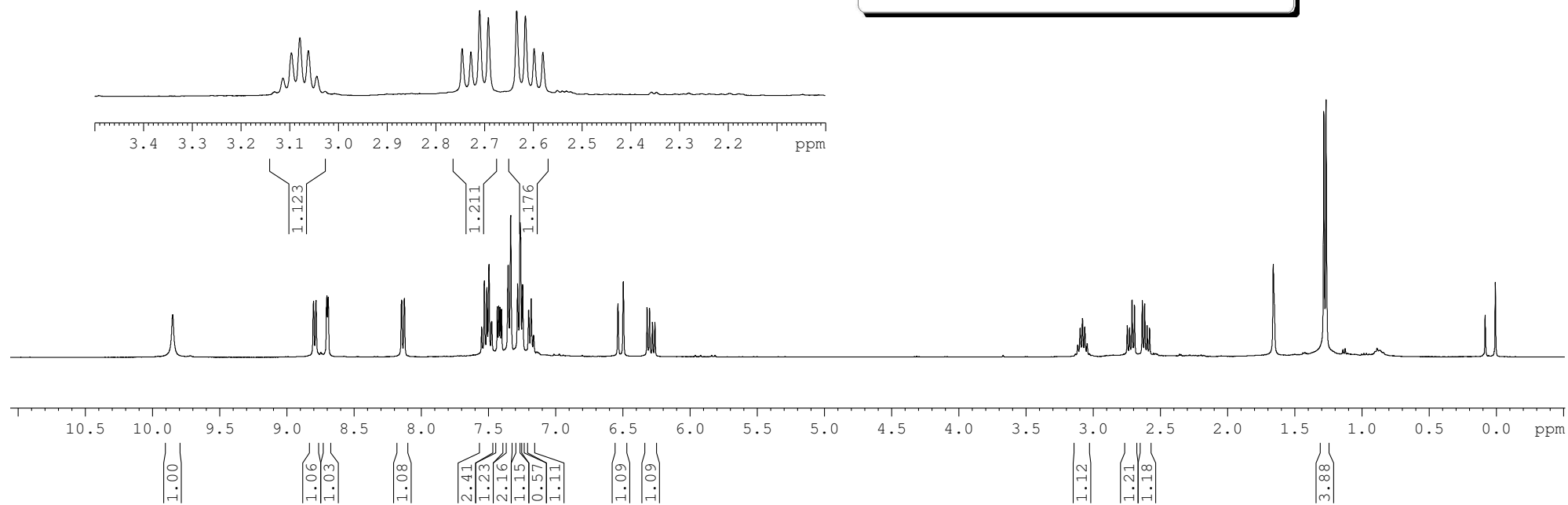
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 2.343
 2.327
 2.313
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 2.260
 2.229
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Current Data Parameters
NAME hgy-2-pp-2-c-20140715-
EXPNO 20
PROCNO 1





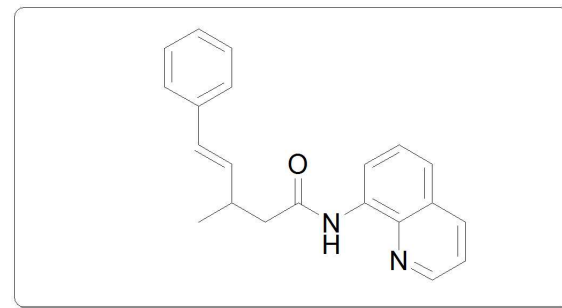
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 EXPNO 20
 PROCNO

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 7.5307
 7.5120
 7.4957
 7.4776
 7.4341
 7.4236
 7.4135
 7.4030
 7.3536
 7.3346
 7.2833
 7.2649
 7.2602
 7.2457
 7.2006
 7.1823
 7.1645
 6.5360
 6.4962
 6.3196
 6.3012
 6.2799
 6.2615

3.114
 3.096
 3.079
 3.062
 3.044
 2.746
 2.728
 2.710
 2.692
 2.634
 2.616
 2.598
 2.580

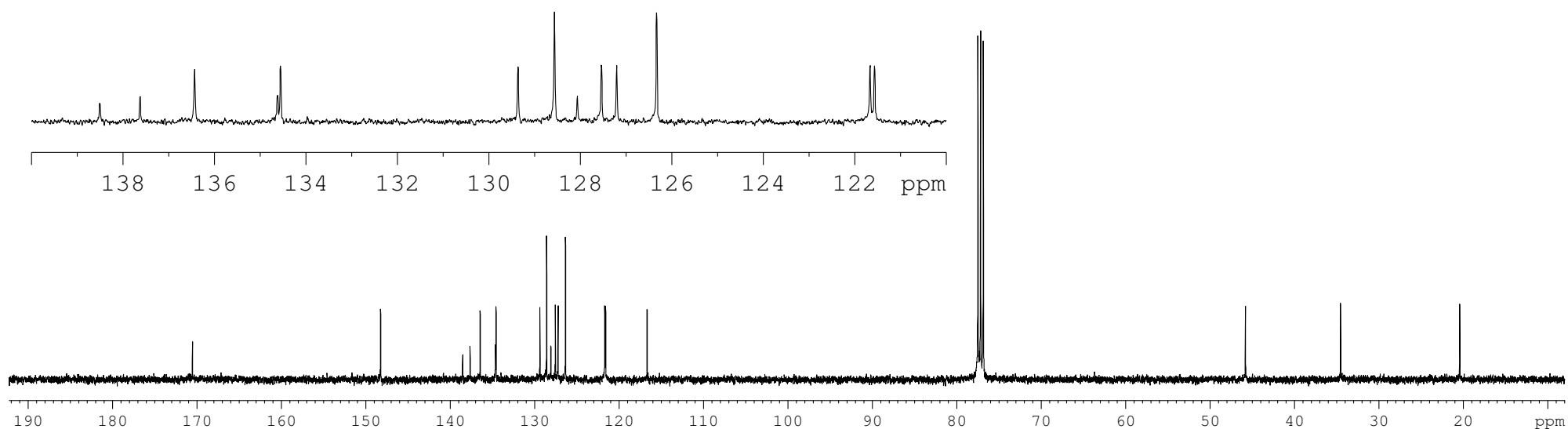
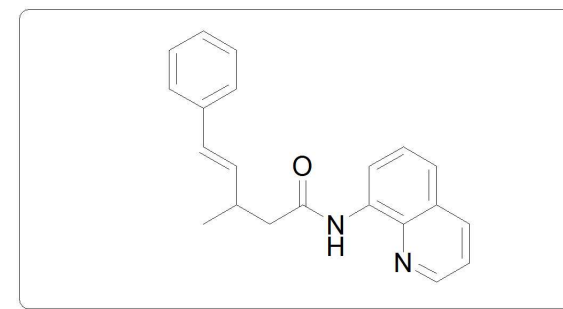
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 3.0616
 3.0442
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 2.7099
 2.6921
 2.6339
 2.6160
 2.5981
 2.5801

1.2832
 1.2663



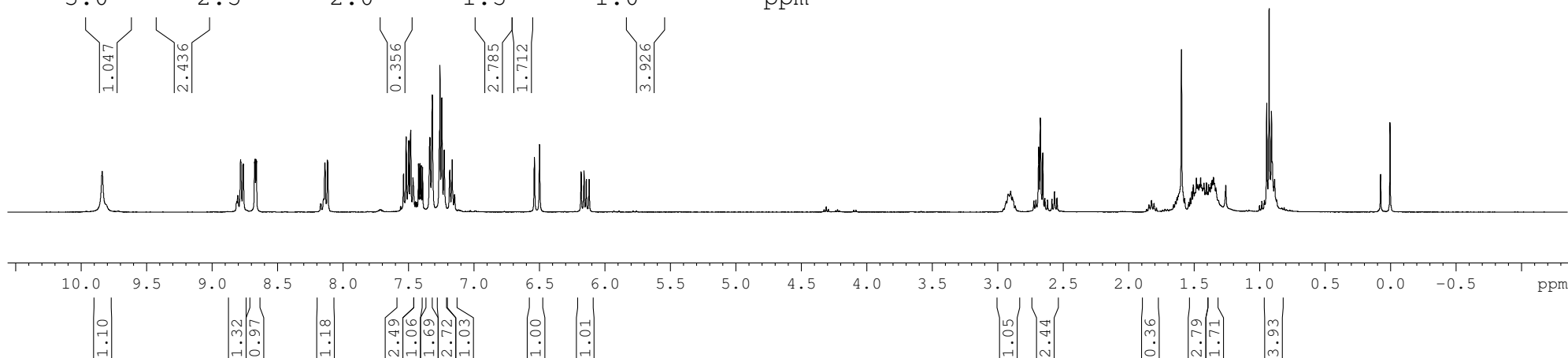
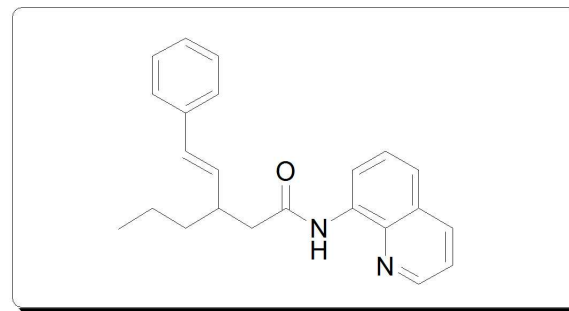
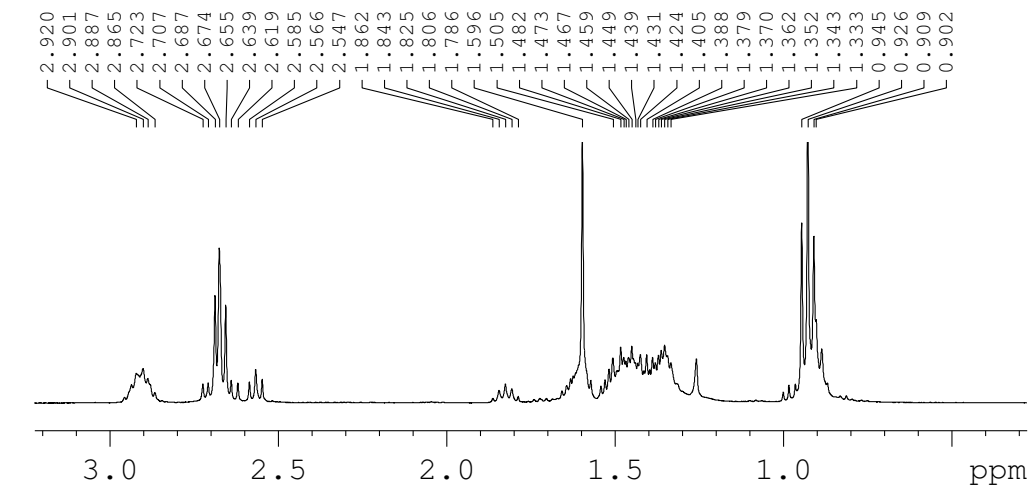
PROCNO 1

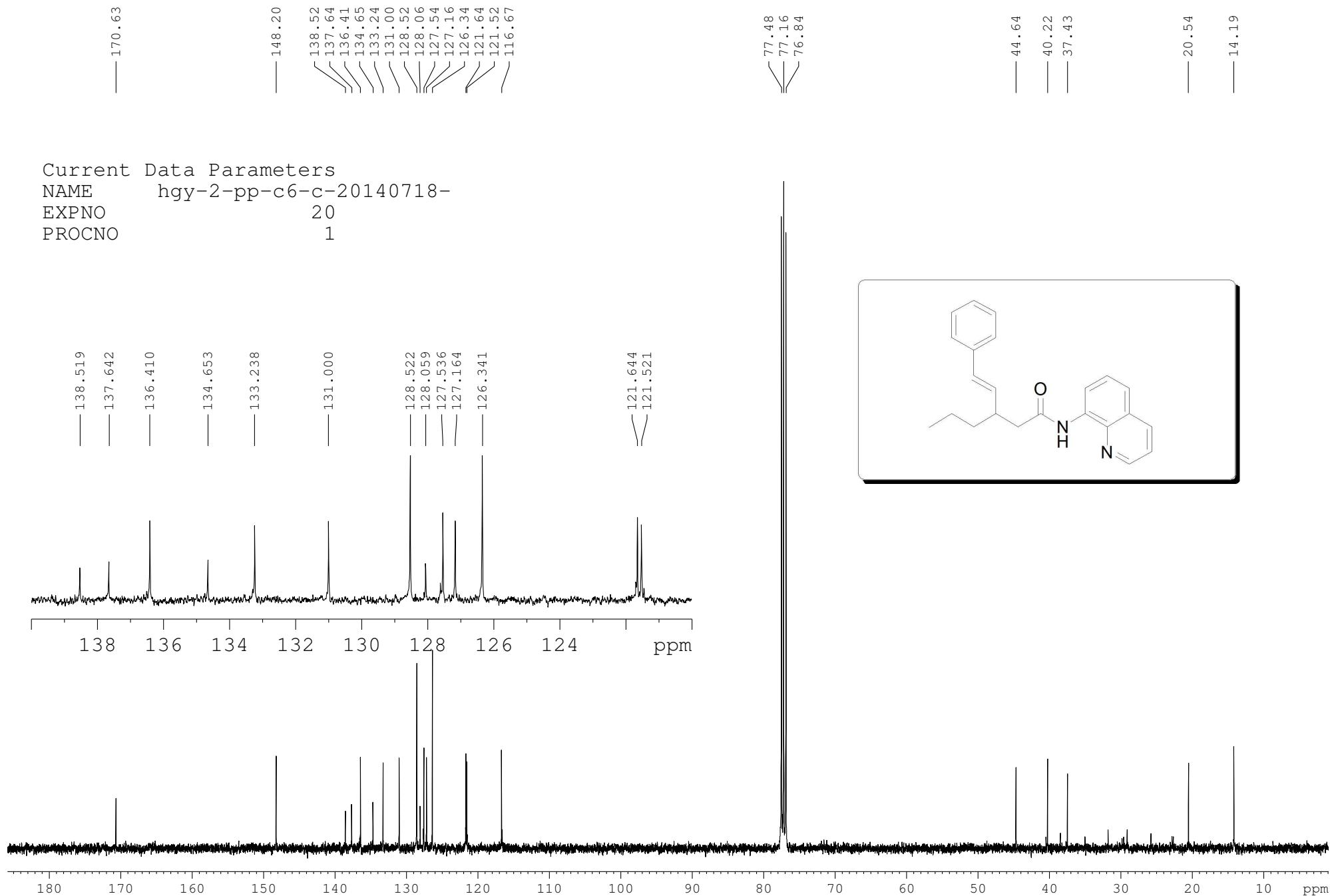
—20.41

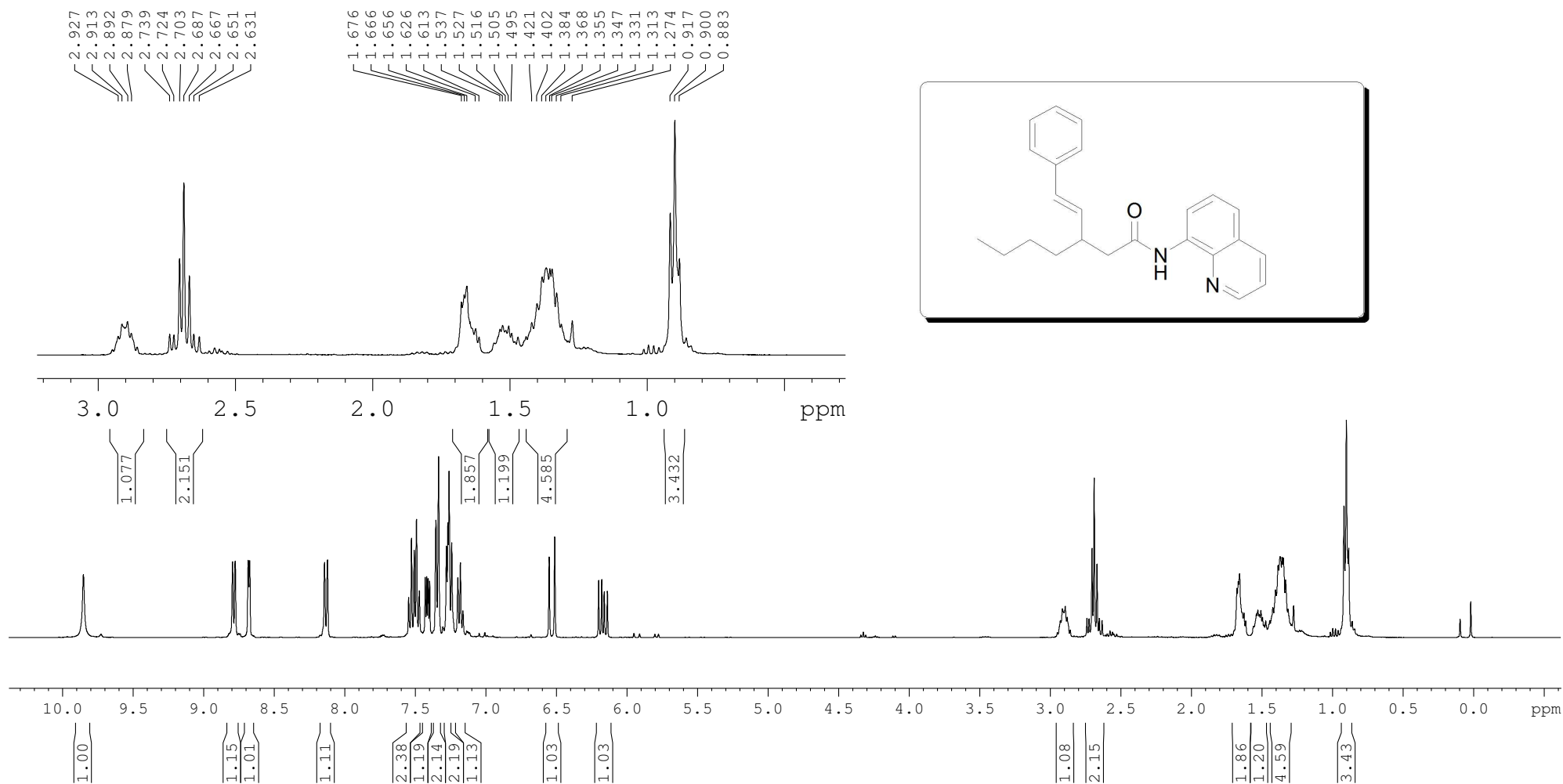
$$\begin{array}{r} 121.672 \\ 121.574 \end{array}$$


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8.1402
8.1367
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Current Data Parameters
NAME hgy-2-pv-6-h-20140714-
EXPNO 10
PROCNO 1







Current Data Parameters
 NAME HGY-2-300-2-H-20140820-
 EXPNO 20
 PROCNO 1

— 170.60
 — 148.17
 138.51
 137.65
 136.39
 134.65
 133.29
 130.97
 128.51
 128.04
 127.52
 127.15
 126.34
 121.63
 121.50
 116.65

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 76.84

— 44.63
 — 40.42
 — 34.97
 — 29.59
 — 22.84
 — 14.18

Current Data Parameters

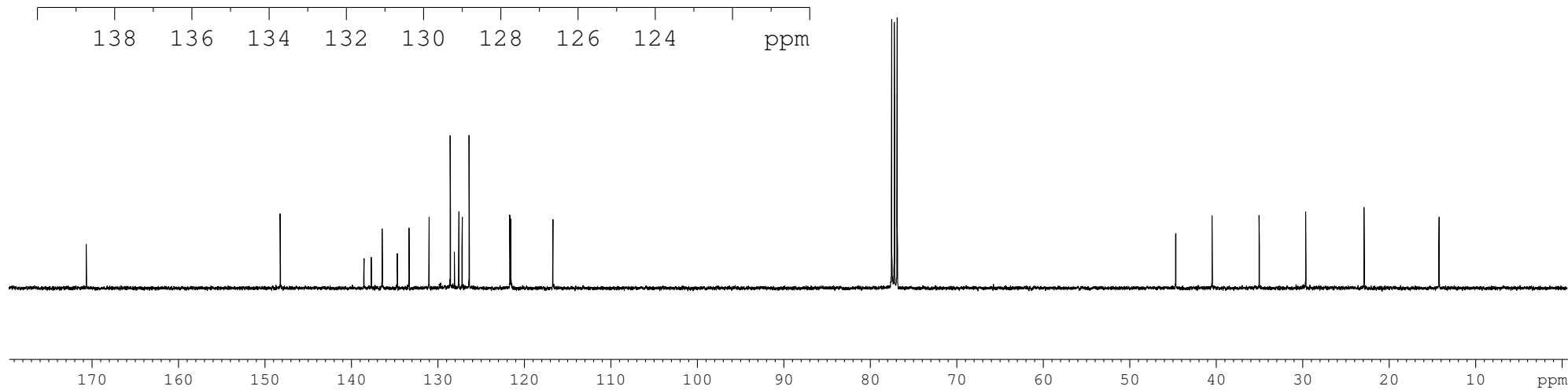
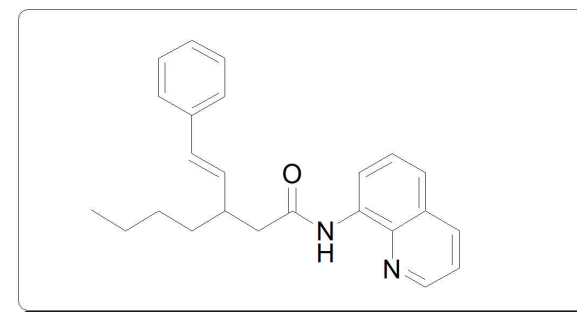
NAME hgy-2-290-2-c-20140820-

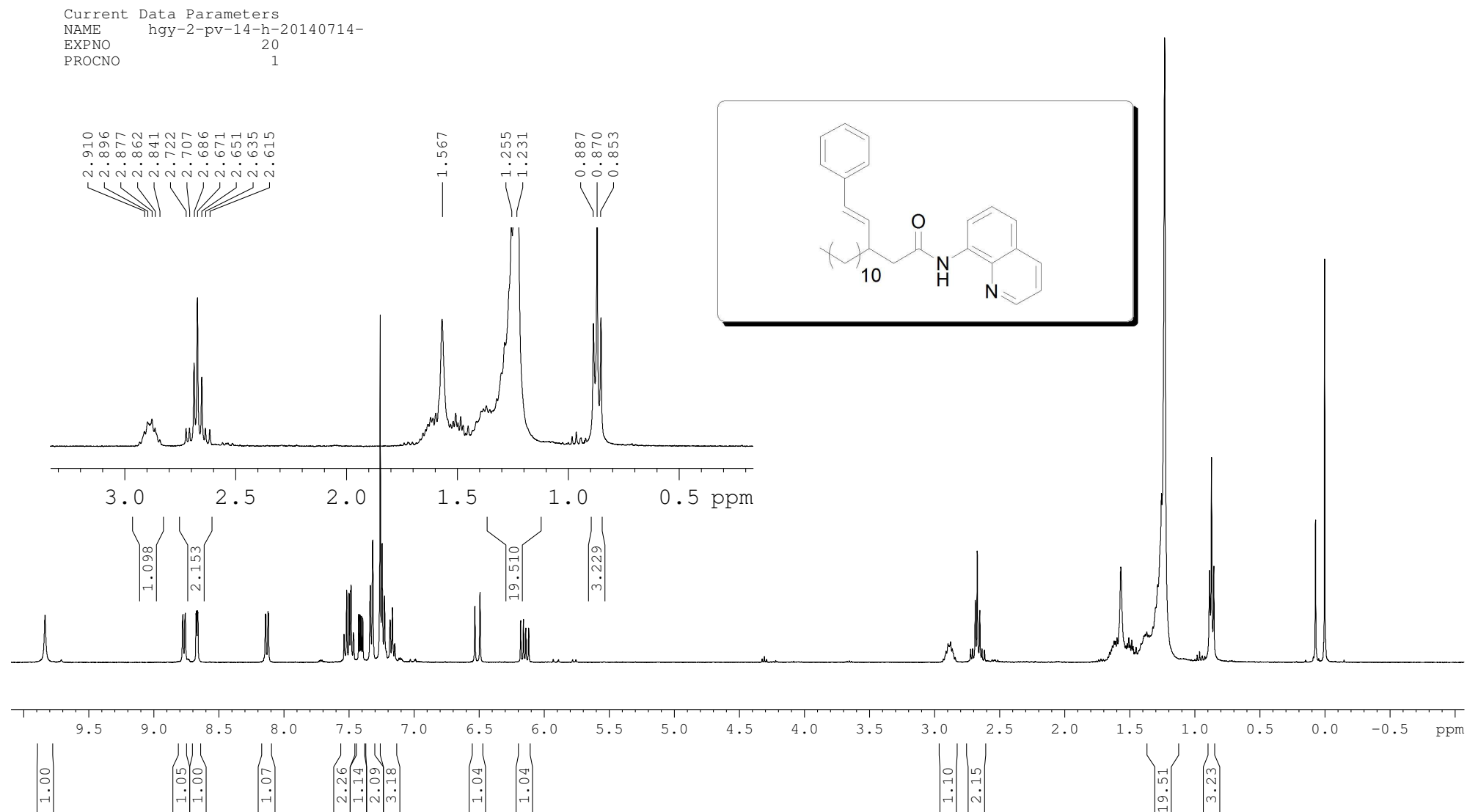
EXPNO 10

PROCNO 1

— 138.506
 — 137.648
 — 136.387
 — 134.648
 — 133.288
 — 130.966
 128.510
 128.042
 127.518
 127.146
 — 126.337

121.626
 121.496

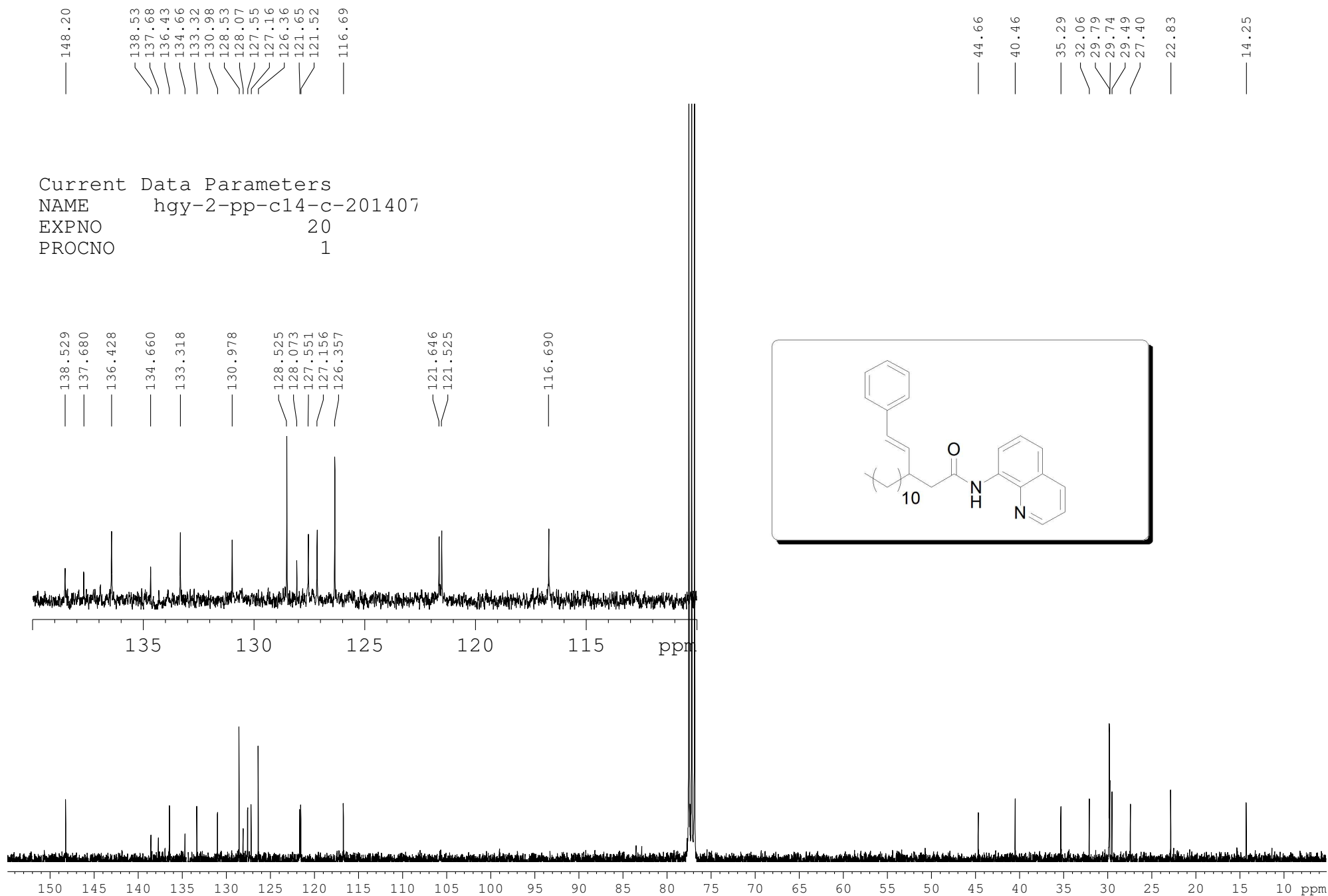


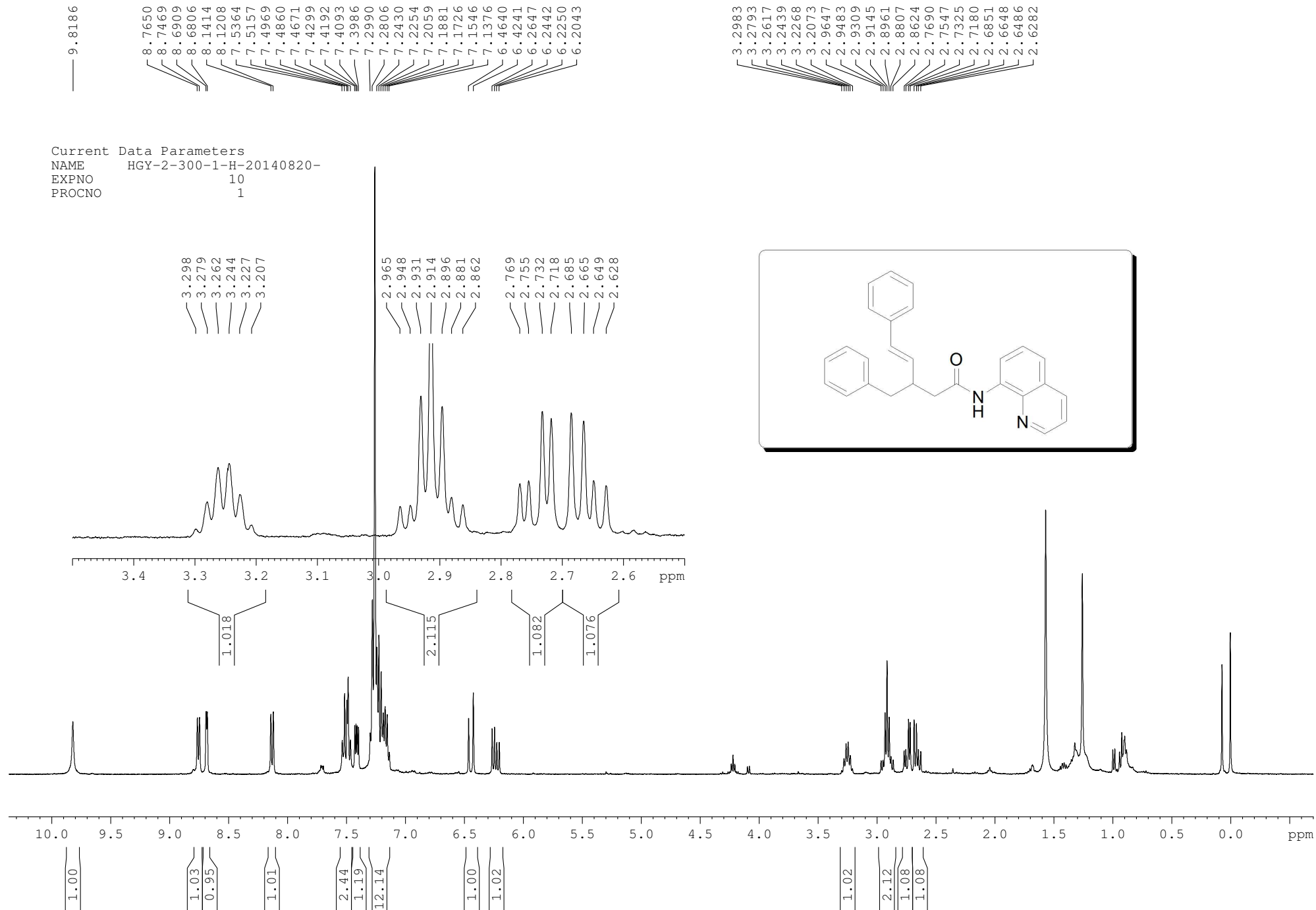


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2.6153

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1.2547
1.2306
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0.8703
0.8527





— 170.35
 — 148.21
 139.54
 137.58
 136.44
 132.40
 131.08
 129.66
 128.52
 128.47
 128.08
 127.55
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43.15
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 41.53

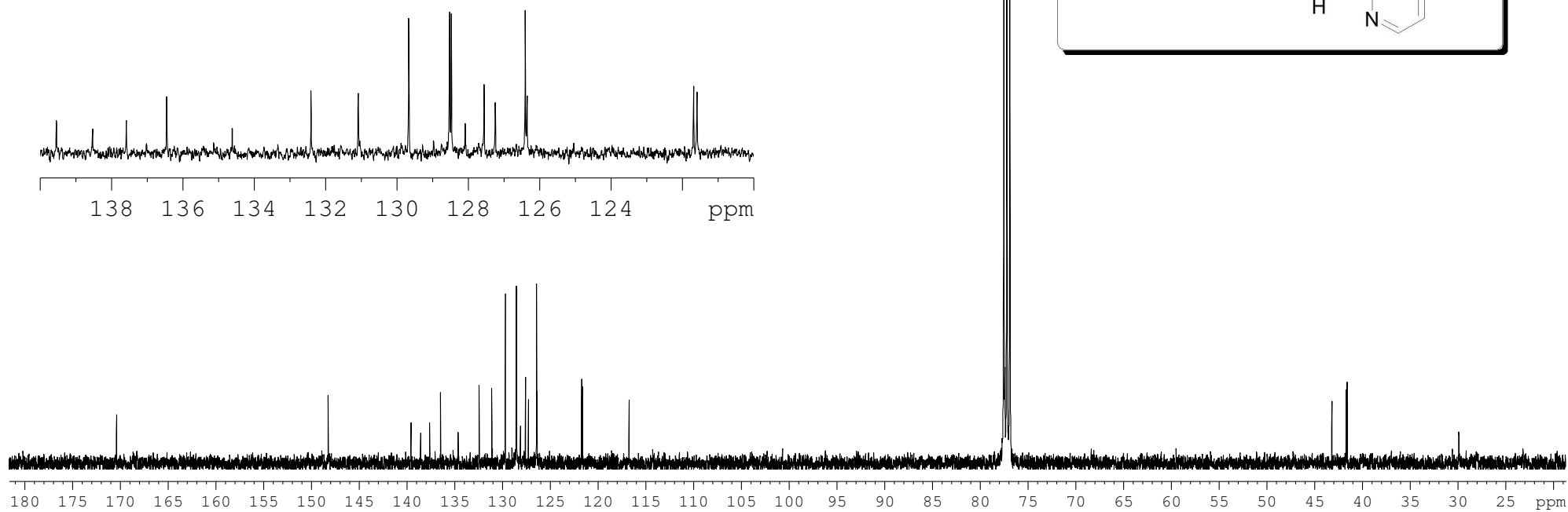
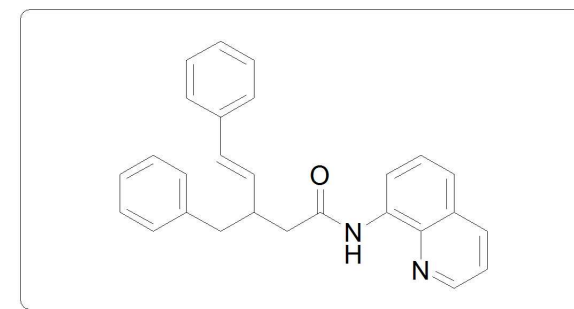
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NAME hgy-2-290-1-c-2014082

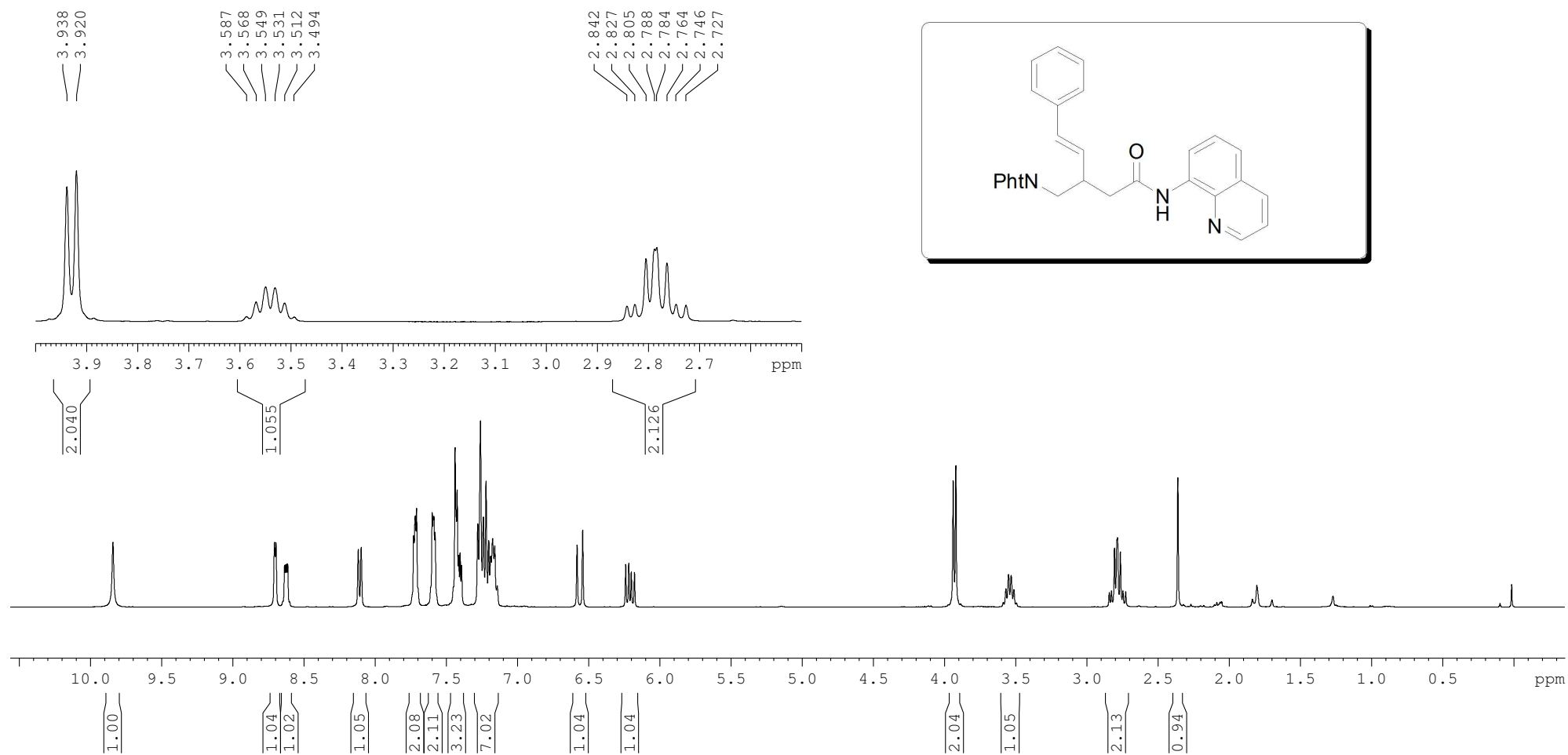
EXPNO 10

PROCNO 1

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 137.577
 136.443
 132.401
 131.076
 129.660
 128.515
 128.465
 128.077
 127.547
 127.236
 126.394
 126.345
 121.677
 121.581



Current Data Parameters
NAME hgy-2-294-1-h-
EXPNO 11
PROCNO 1



169.24
168.50

148.20
138.38
137.05
136.30
134.40
133.91
132.93
132.02
129.34
129.14
128.48
128.33
127.92
127.48
127.38
126.48
125.41
123.28
121.63
121.52
116.56

77.47
77.16
76.84

42.06
41.63
39.57

Current Data Parameters

NAME hgy-2-294-1-c-
EXPNO 13
PROCNO 1

138.382

137.052

136.297

134.401

133.907

132.929

132.022

129.342

129.138

128.482

128.330

127.916

127.482

127.379

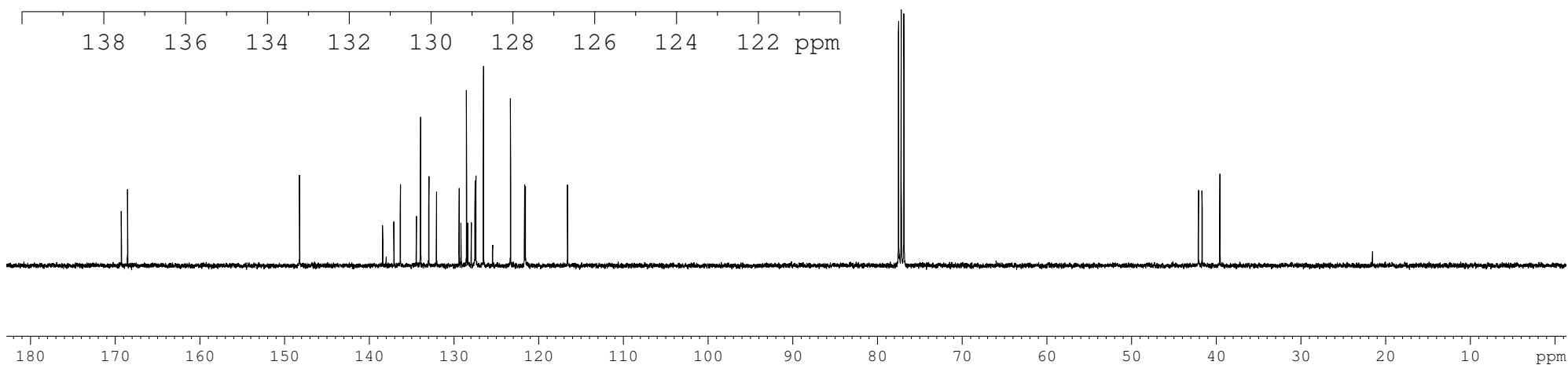
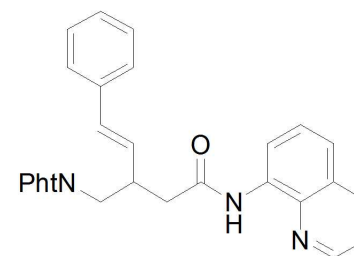
126.483

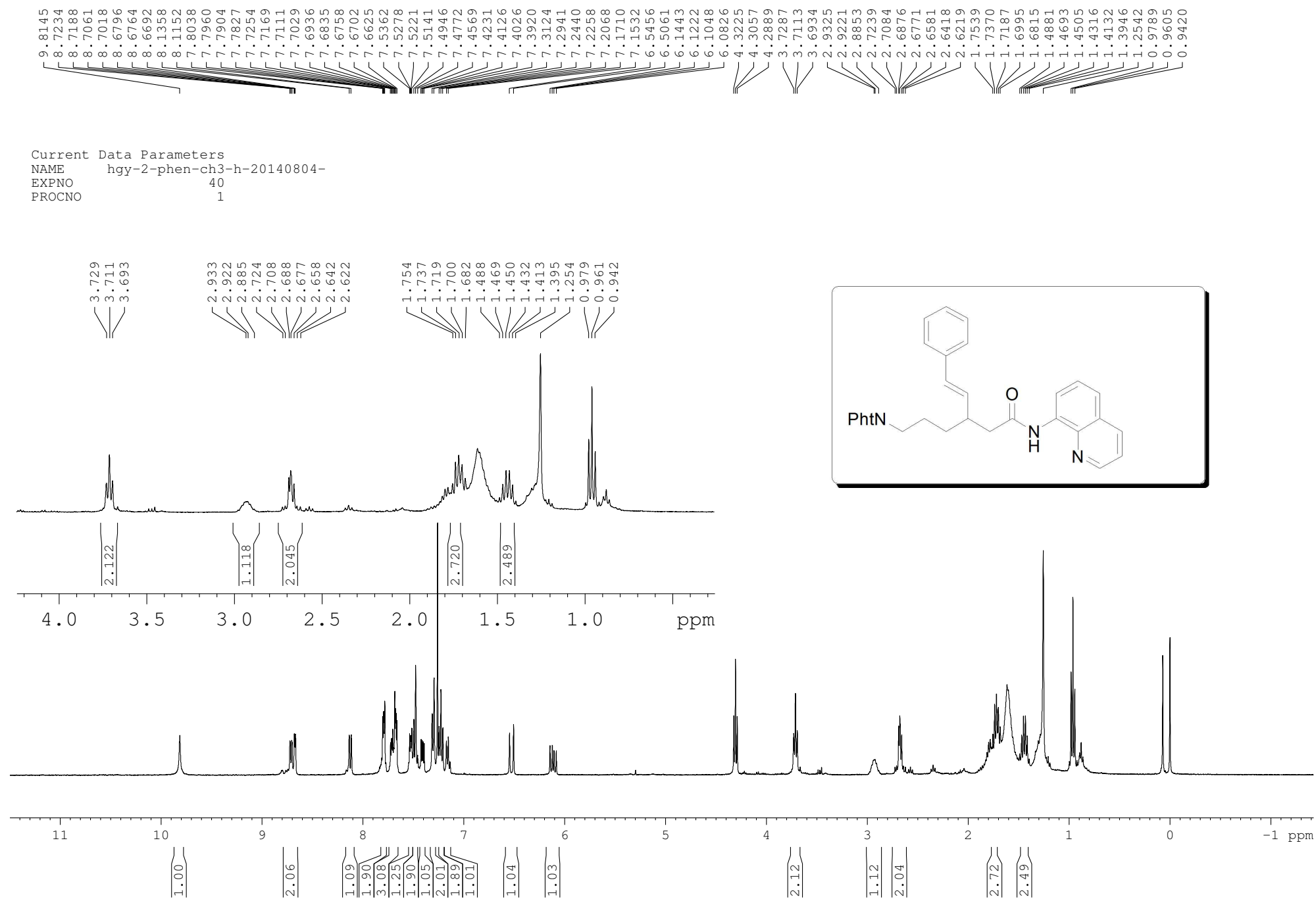
125.405

123.283

121.625

121.517





— 170.16
 — 168.52

 — 148.22
 — 138.50
 — 137.36
 — 136.39
 — 134.56
 — 133.95
 — 132.29
 — 132.23
 — 131.69
 — 128.51
 — 128.03
 — 127.51
 — 127.29
 — 126.43
 — 123.30
 — 121.65
 — 121.55
 — 116.68

— 44.49
 — 40.22
 — 38.05
 — 32.30
 — 26.66

Current Data Parameters

NAME hgy-2-pp-b3-c-20140718-

EXPNO 20

PROCNO 1

— 138.495
 — 137.356
 — 136.391

 — 134.564
 — 133.946

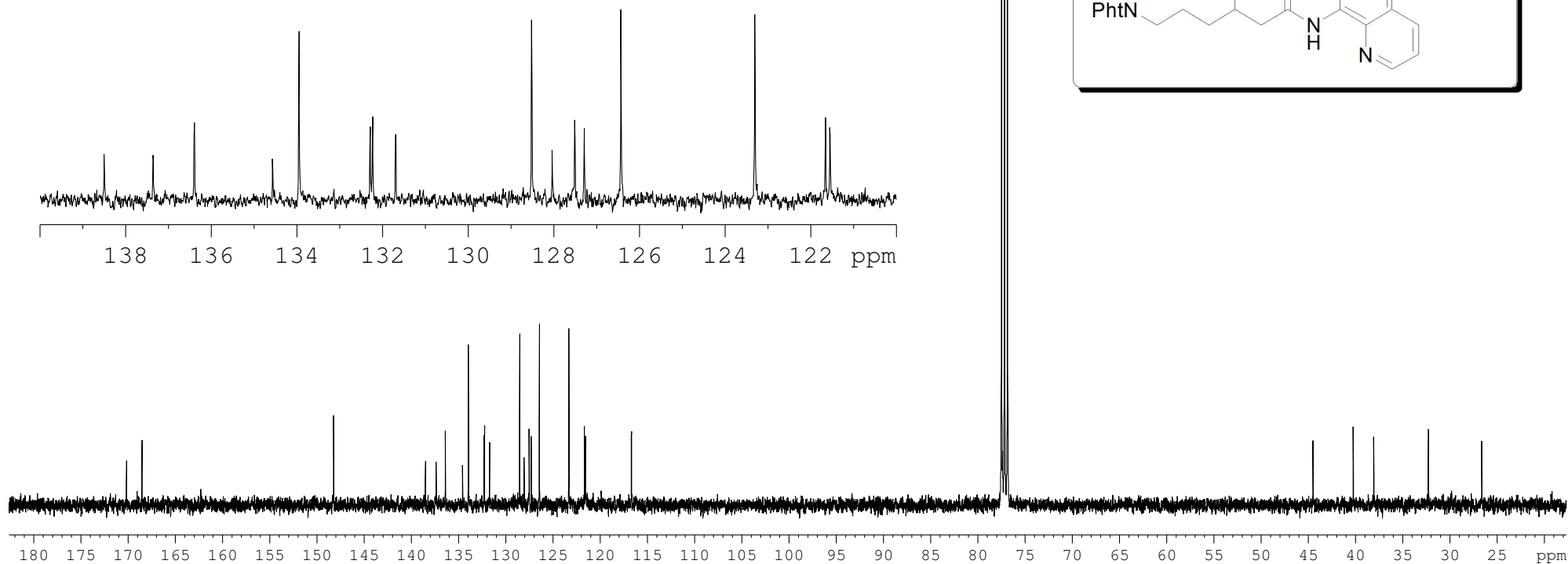
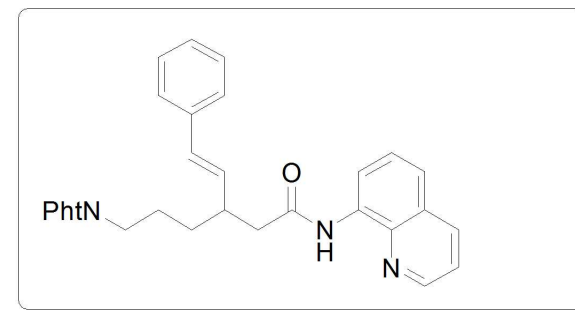
 — 132.285
 — 132.227
 — 131.689

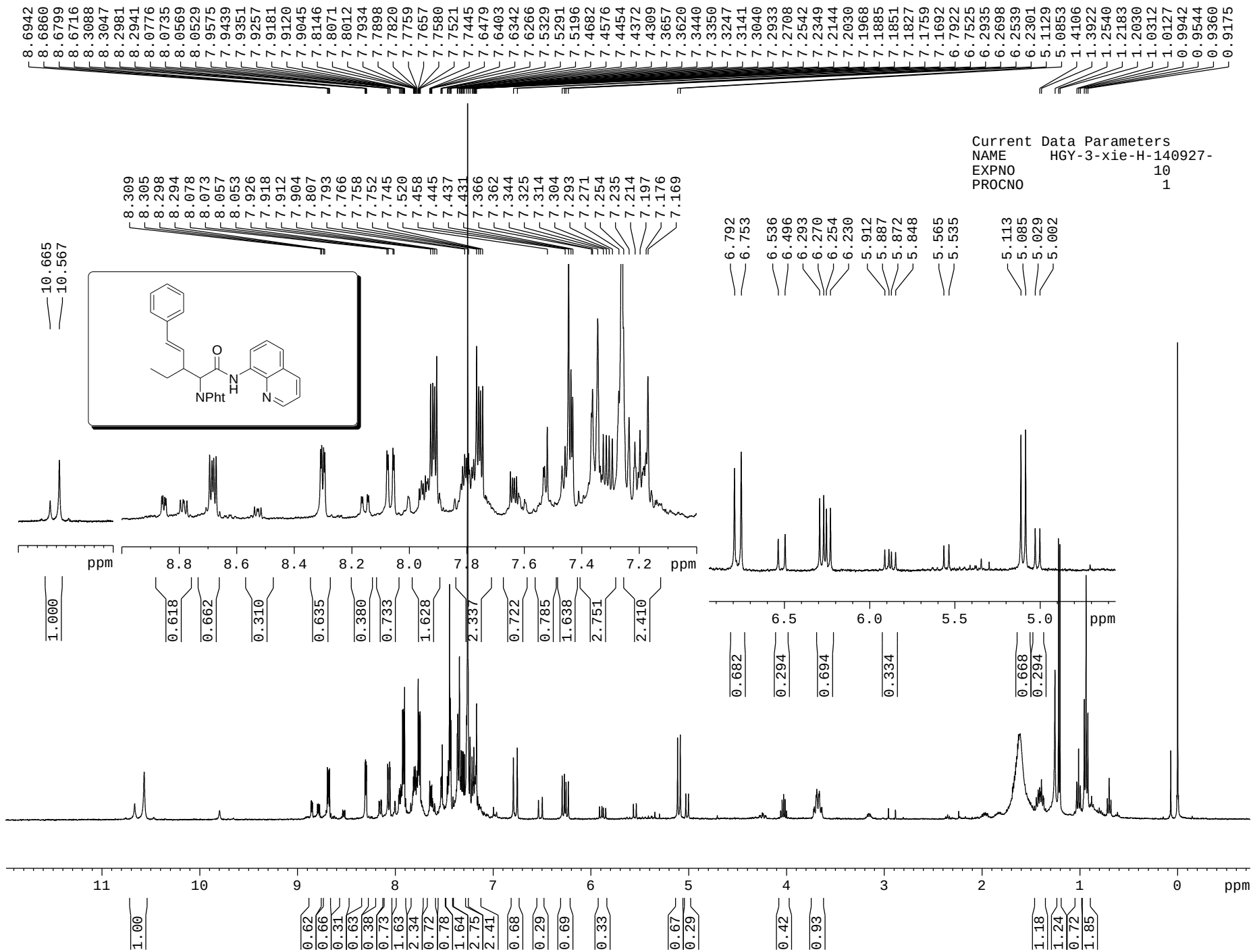
 — 128.513
 — 128.033
 — 127.507
 — 127.288

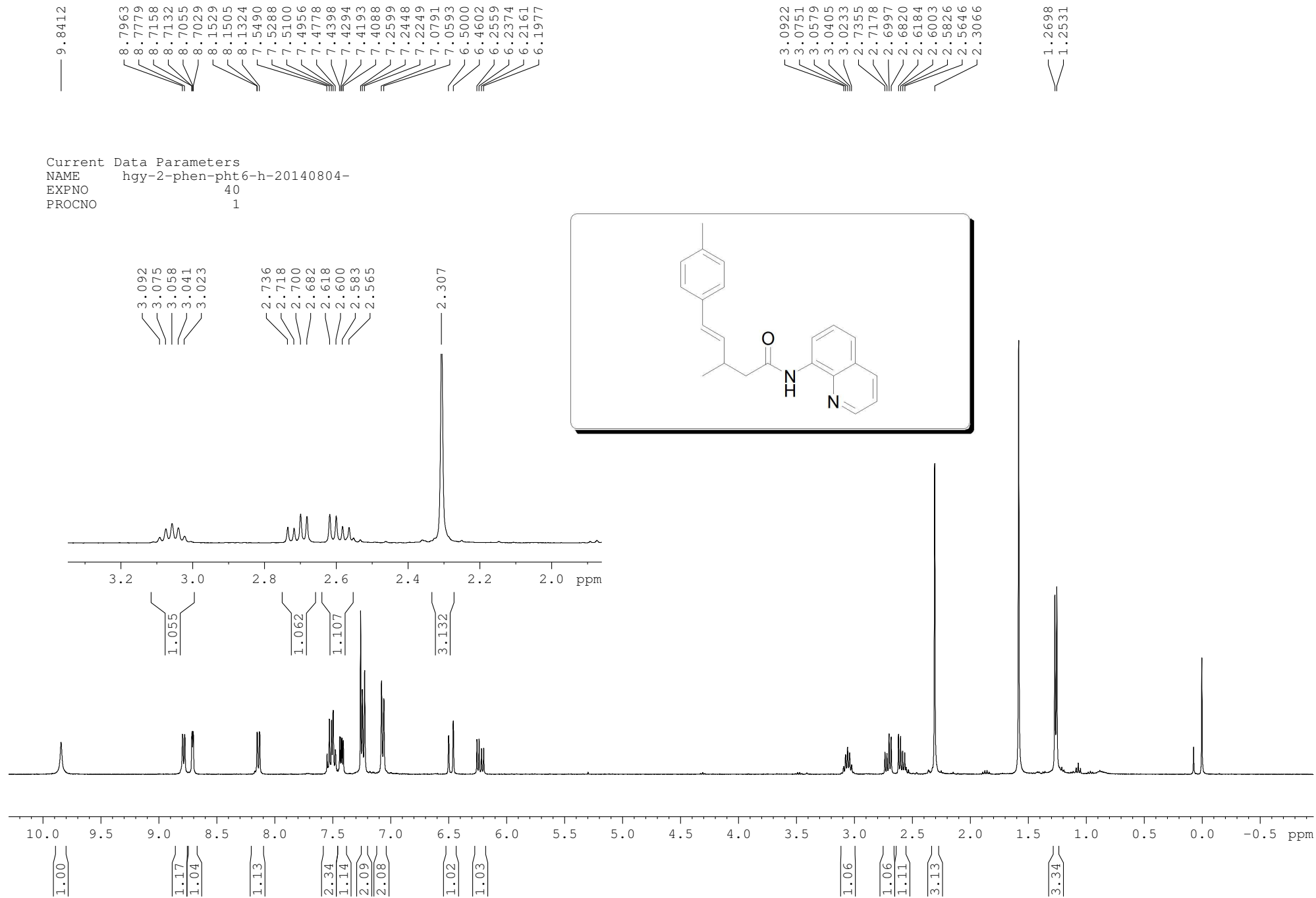
 — 126.428

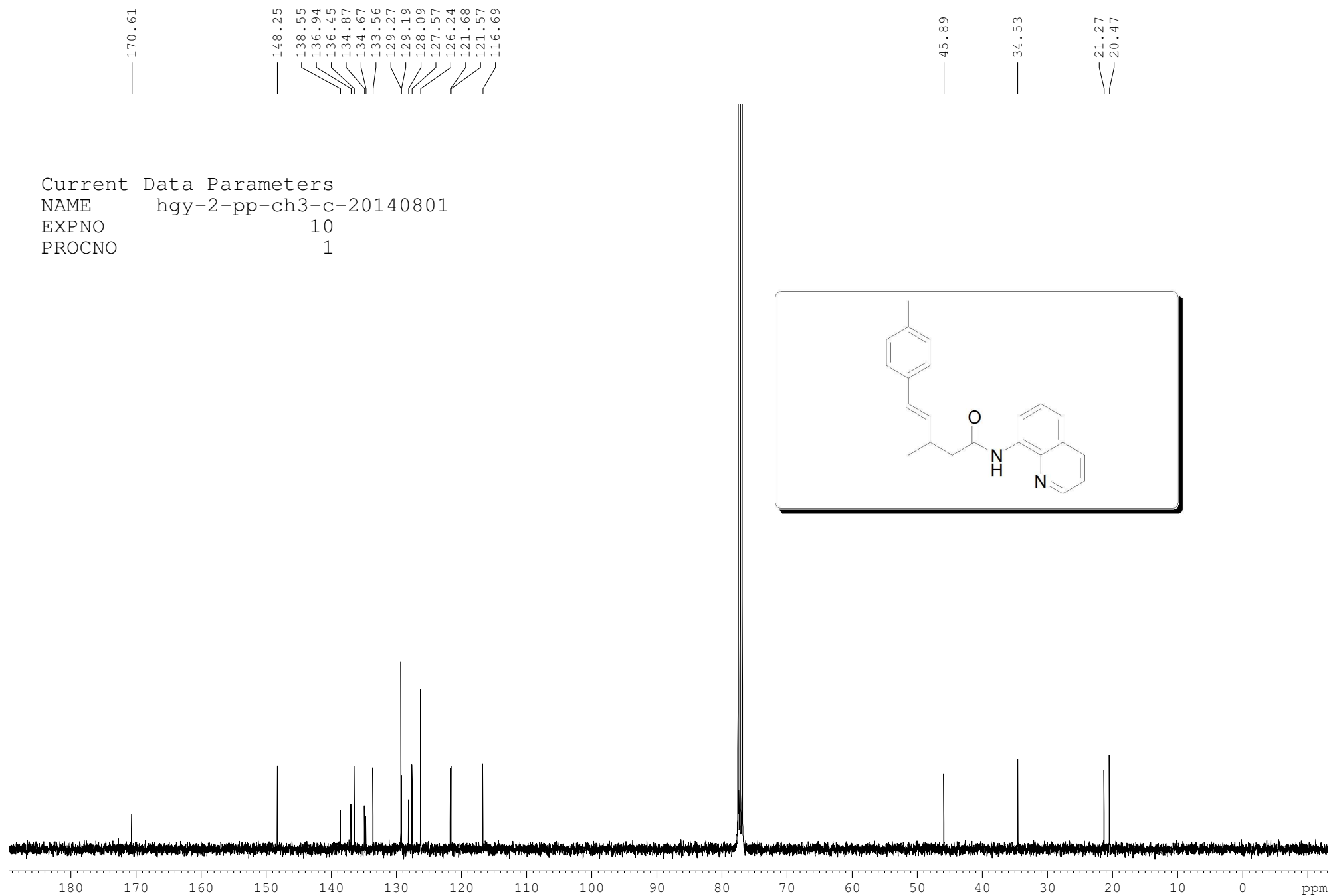
 — 123.301

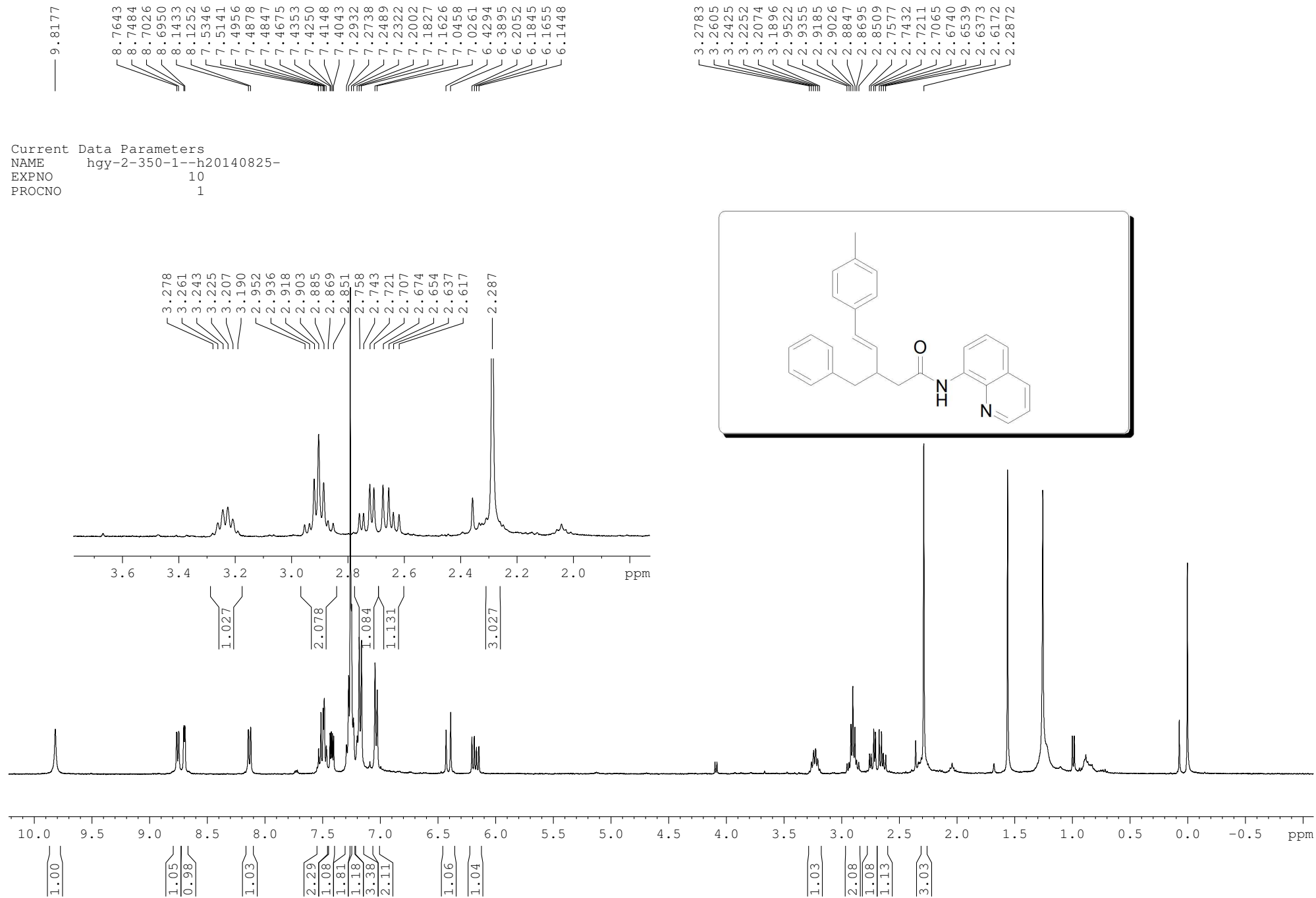
 — 121.652
 — 121.548

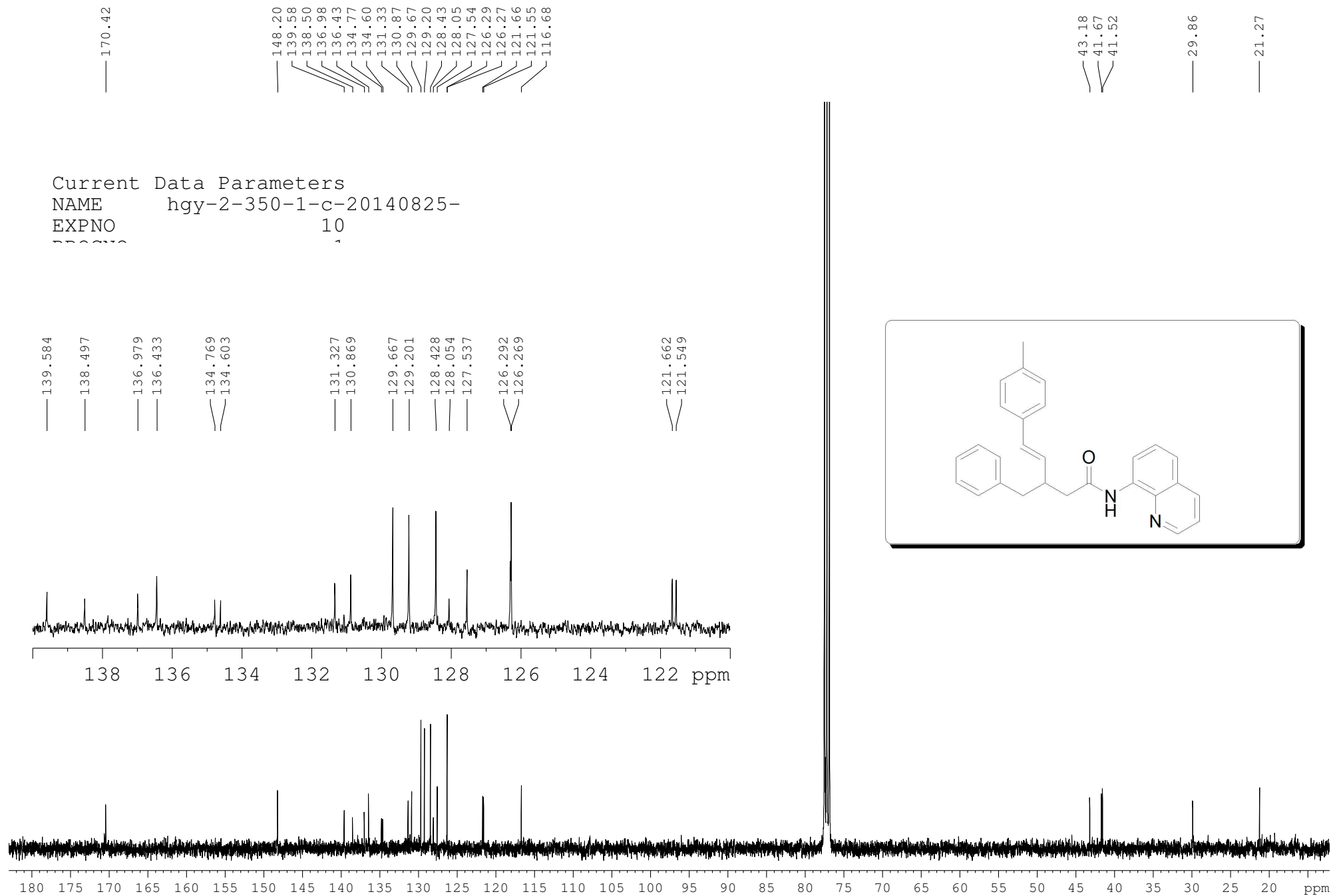












Current Data Parameters
 NAME hgy-2-353-1-h-20140826-
 EXPNO 10
 PROCNO 1

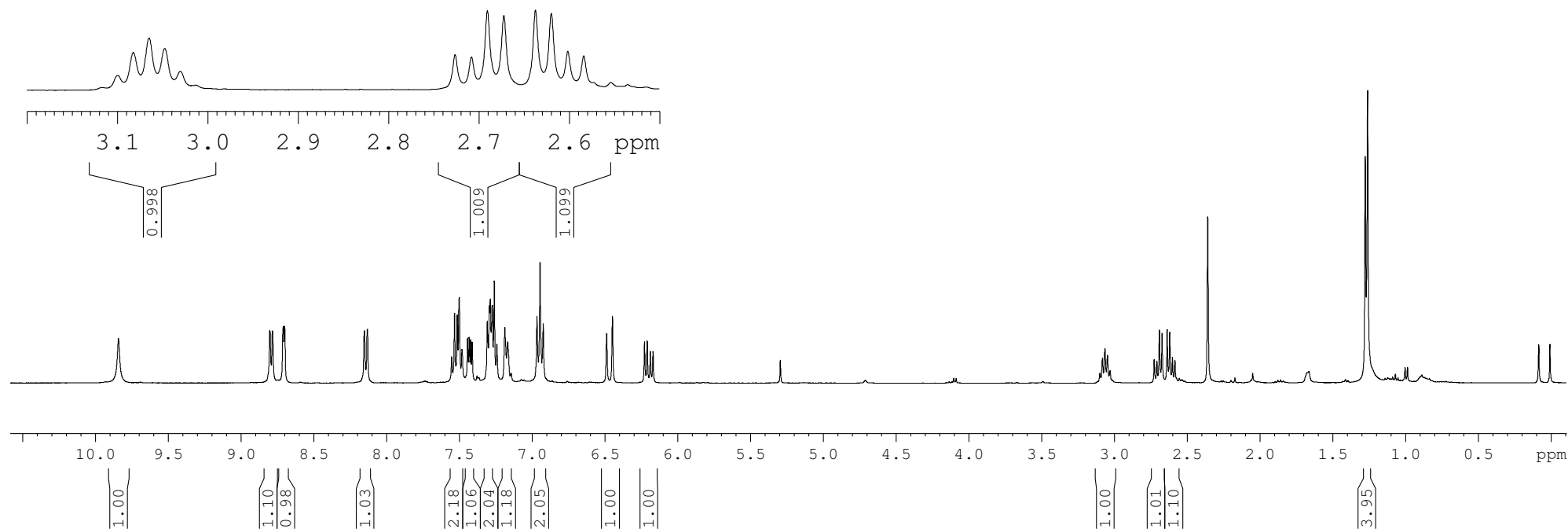
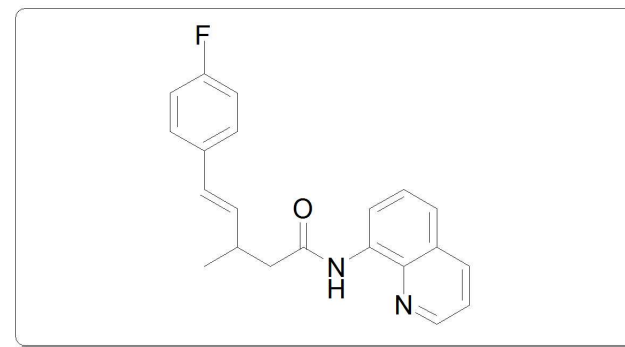
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 3.047
 3.030

2.726
 2.708
 2.690
 2.672
 2.637
 2.619
 2.601
 2.584

9.8395
 8.8029
 8.7848
 8.7100
 8.7027
 8.1522
 8.1318
 7.5536
 7.5333
 7.5146
 7.5008
 7.4827
 7.4437
 7.4332
 7.4231
 7.4126
 7.3083
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 7.2601
 7.2424
 7.1879
 7.1688
 6.9674
 6.9458
 6.9242
 6.4883
 6.4485
 6.2279
 6.2094
 6.1882
 6.1697

3.0993
 3.0819
 3.0646
 3.0472
 3.0299
 2.7260
 2.7078
 2.6902
 2.6720
 2.6370
 2.6195
 2.6012
 2.5836

1.2761
 1.2596



— 170.46
 — 163.37
 — 160.93
 148.22
 138.47
 136.47
 134.57
 134.29
 134.27
 133.77
 133.74
 129.17
 128.36
 128.21
 128.06
 127.79
 127.71
 127.54
 125.43
 121.70
 121.62
 116.66
 115.50
 115.28

77.48
 77.16
 76.85

— 45.77

— 34.50

— 20.43

Current Data Parameters

NAME hgy-2-353-1-c-20140901-

EXPNO 50

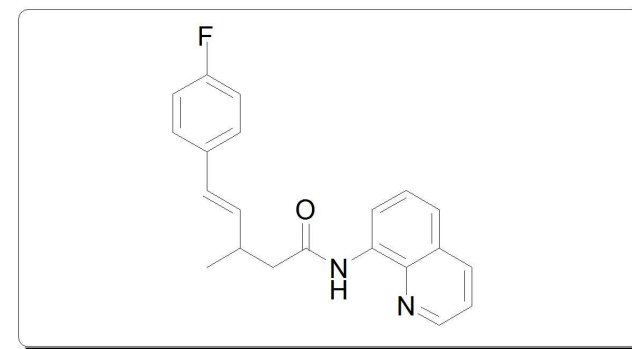
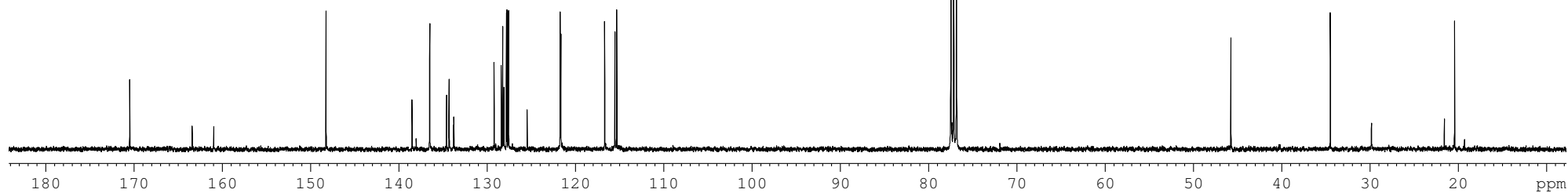
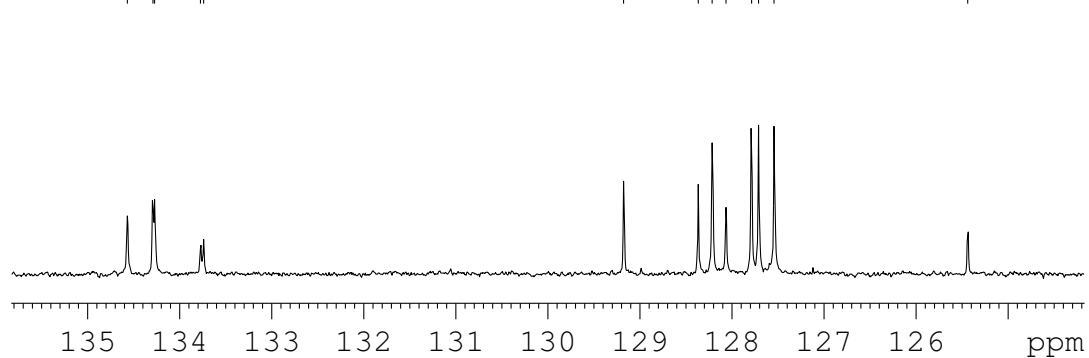
PROCNO 1

134.567
 134.290
 134.270
 133.769
 133.738

— 129.173

128.364
 128.211
 128.063
 127.787
 127.708
 127.539

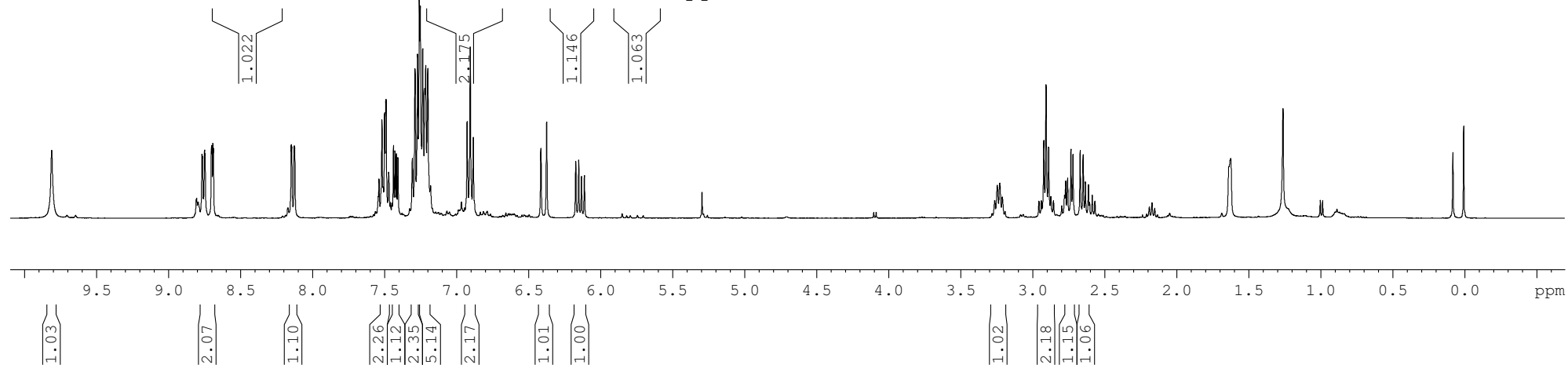
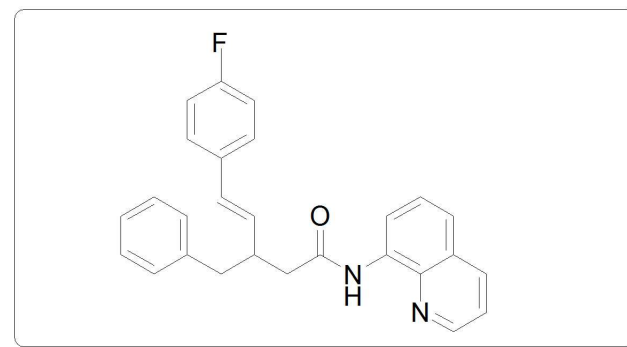
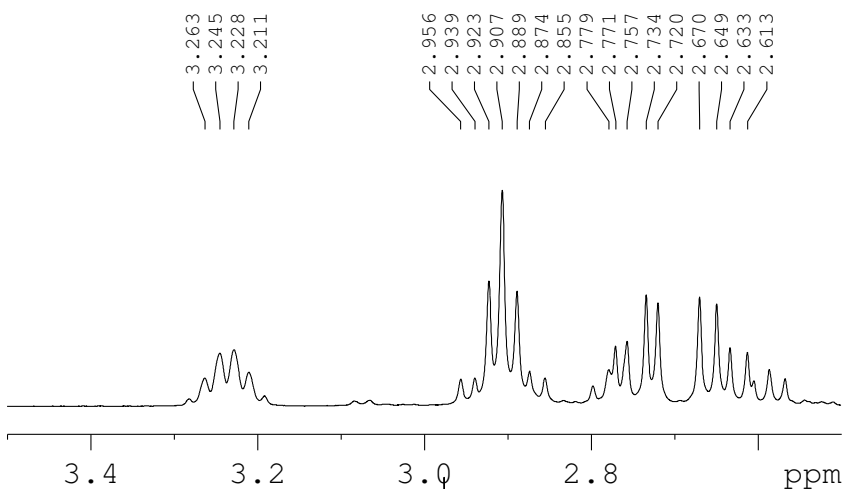
— 125.435

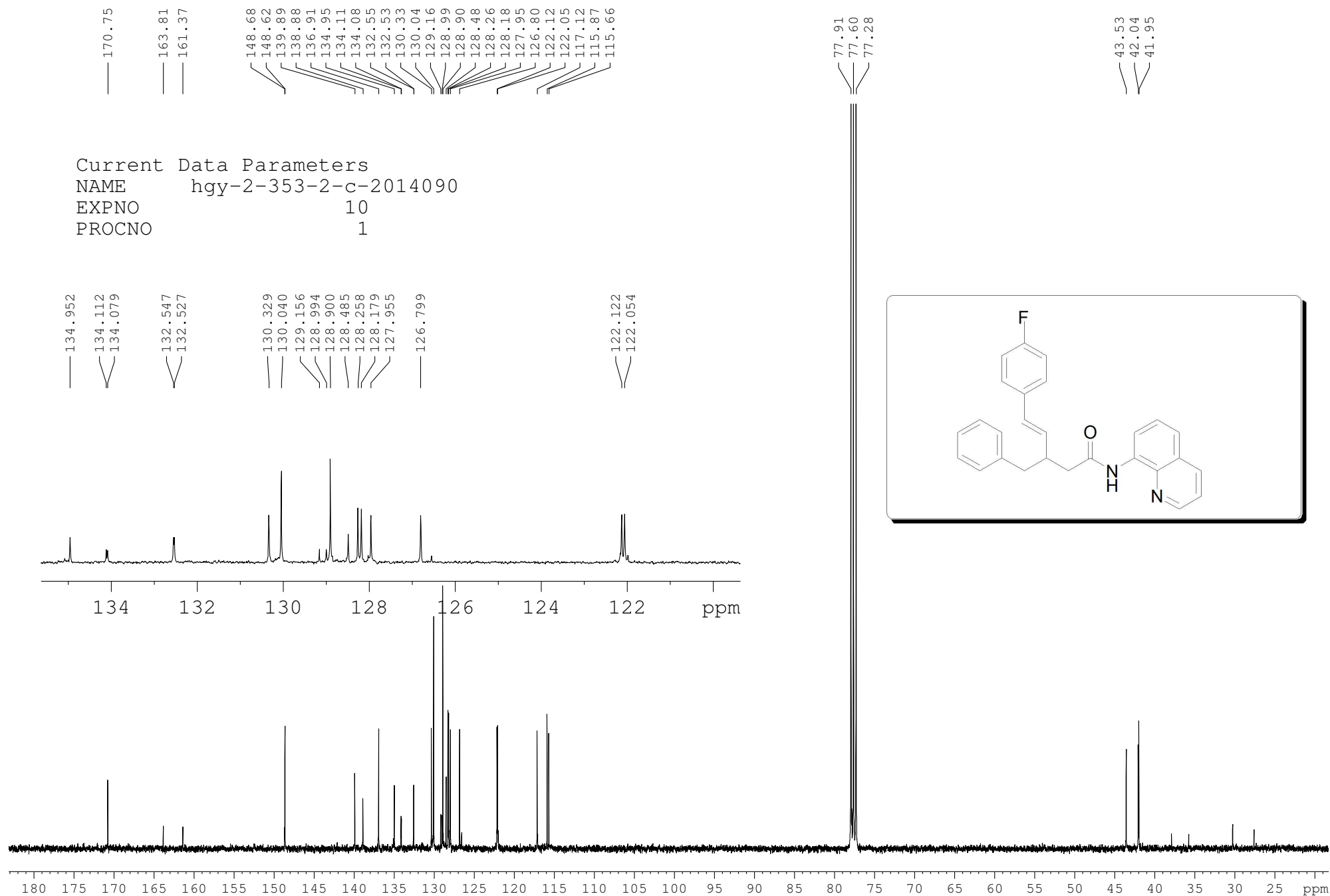


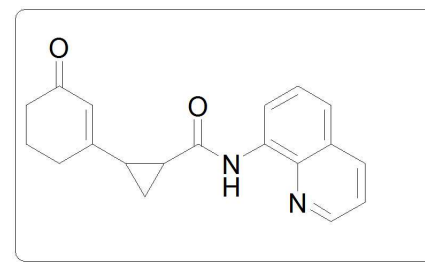
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8.1448
8.1275
8.1241
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7.5185
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7.5002
7.4933
7.4894
7.4726
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7.4280
7.4180
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7.3074
7.2889
7.2710
7.2599
7.2520
7.2355
7.2213
7.2146
7.2001
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6.9056
6.8839
6.4147
6.3750
6.1731
6.1524
6.1333
6.1127

3.2632
3.2452
3.2283
3.2105
2.9564
2.9395
2.9226
2.9067
2.8889
2.8738
2.8552
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2.7568
2.7340
2.7199
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2.6333
2.6126

Current Data Parameters
NAME hgy-2-305-2-h-20140826-
EXPNO 20
PROCNO 1





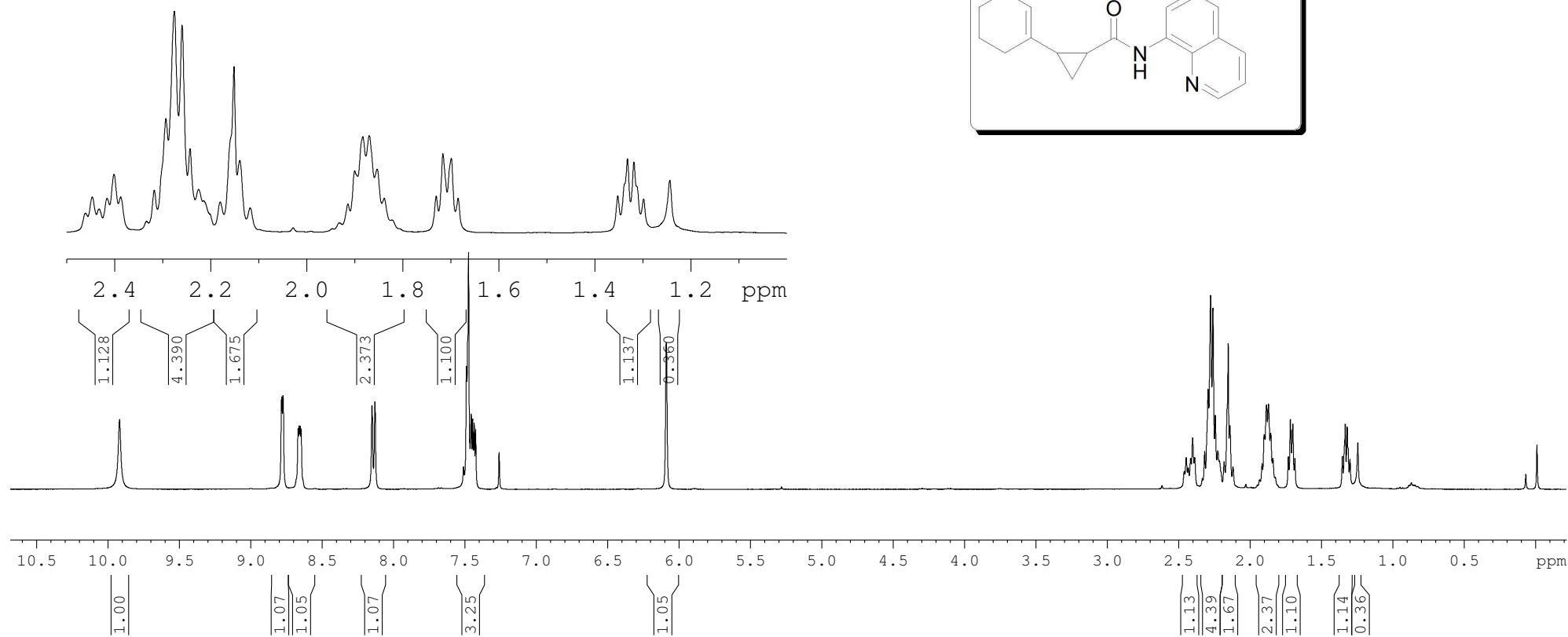


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2.3171
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2.2753
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2.2425
2.2248
2.2142
2.1805
2.1518
2.1398
1.9145
1.9005
1.8834
1.8700
1.8537
1.8388
1.7306
1.7166
1.6991
1.6848
1.3523
1.3320
1.3186
1.2984
1.2438

Current Data Parameters
NAME HGY-2-H12-20140421-
EXPNO 10
PROCNO 1

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2.387
2.317
2.293
2.275
2.259
2.243
2.225
2.214
2.180
2.152
2.140
1.915
1.901
1.883
1.870
1.854
1.839
1.731
1.717
1.699
1.685

1.352
1.332
1.319
1.298
1.244



— 199.62

— 167.94

— 161.11

— 148.30

— 138.25

— 136.46

— 134.49

— 128.53

— 128.01

— 127.46

— 121.76

— 121.56

— 116.46

— 37.55

— 30.10

— 27.43

— 24.83

— 22.69

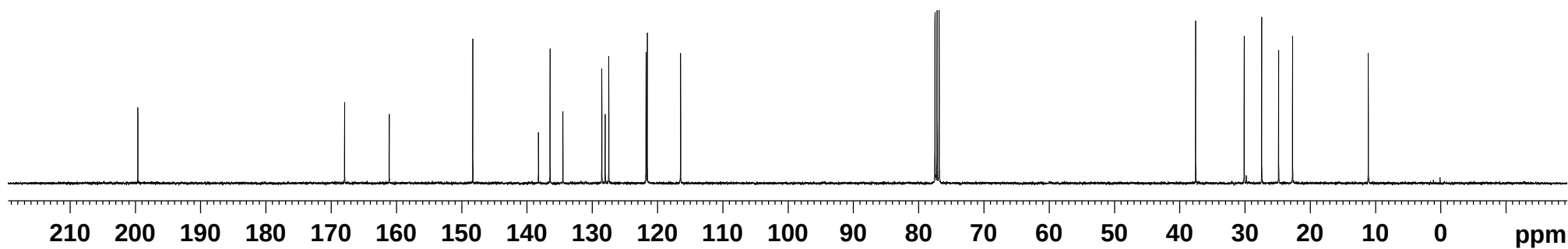
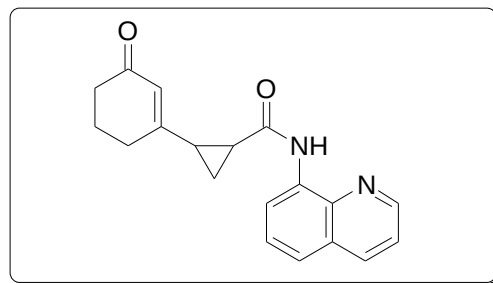
— 11.09

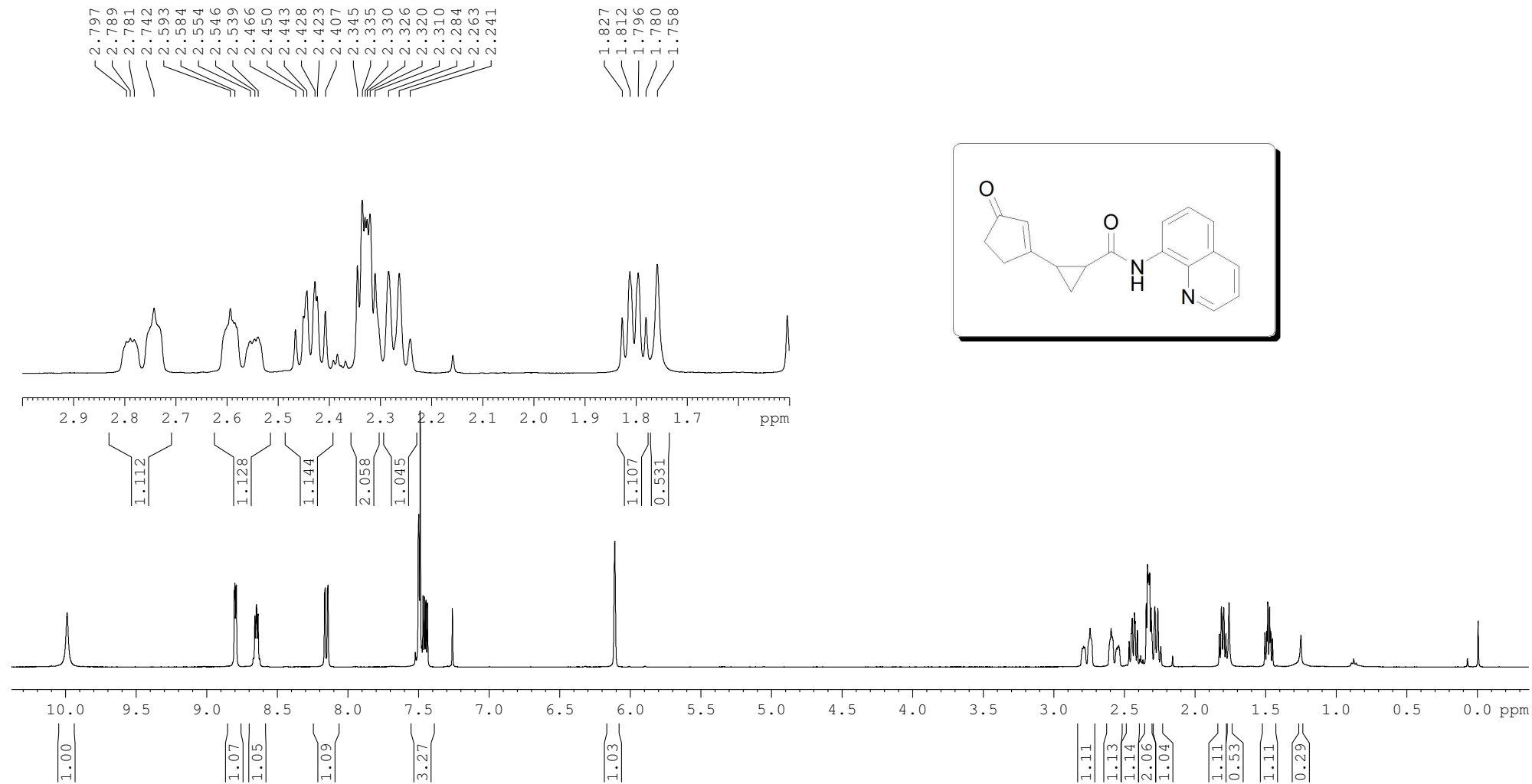
Current Data Parameters

NAME hgy-2-135-12-c-140528-

EXPNO 10

PROCNO 1





Current Data Parameters
 NAME hgy-2-h11-20140418-
 EXPNO 40
 PROCNO 1

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 8.6367
 8.1654
 8.1620
 8.1447
 8.1414
 7.5011
 7.4977
 7.4882
 7.4676
 7.4570
 7.4469
 7.4364
 7.2600

2.797
 2.789
 2.781
 2.742
 2.593
 2.584
 2.554
 2.546
 2.539
 2.466
 2.450
 2.443
 2.428
 2.423
 2.407
 2.345
 2.335
 2.330
 2.326
 2.320
 2.310
 2.284
 2.263
 2.241
 1.827
 1.812
 1.796
 1.780
 1.758

2.7965
 2.7888
 2.7811
 2.7423
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 2.5391
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 2.2629
 2.2414
 1.8267
 1.8118
 1.7955
 1.7802
 1.7582
 1.5039
 1.4910
 1.4834
 1.4706
 1.4630
 1.4501
 1.2488

— 209.79

— 178.02

— 167.24

— 148.33

— 138.30

— 136.58

— 134.39

— 132.07

— 128.08

— 127.54

— 121.81

— 121.78

— 116.67

— 35.53

— 31.79

— 26.65

— 23.14

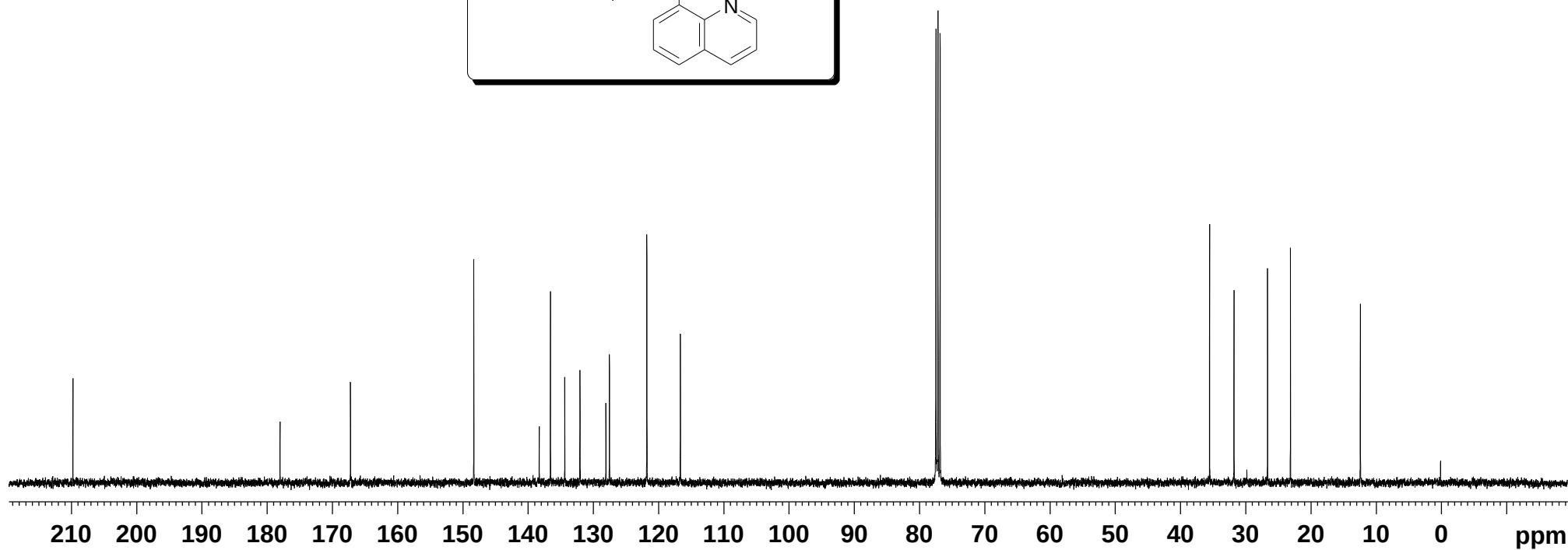
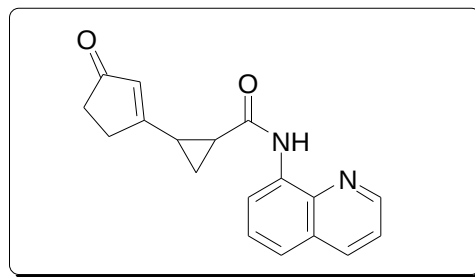
— 12.41

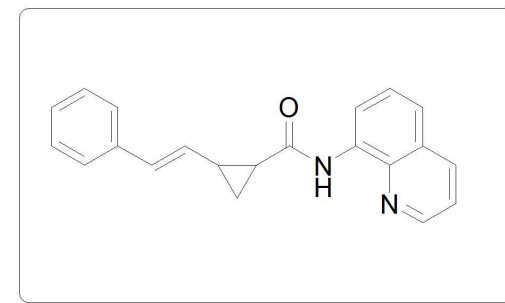
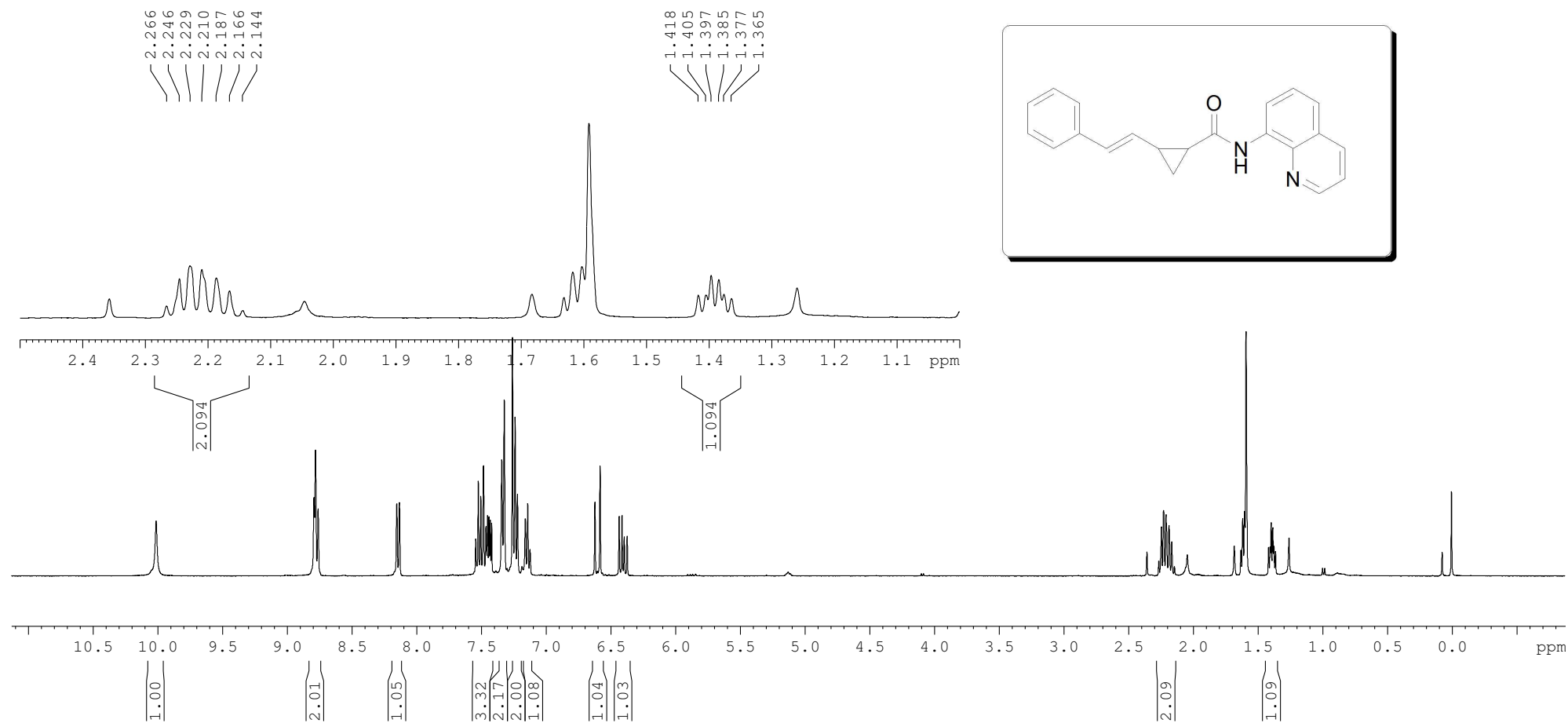
Current Data Parameters

NAME hgy-2-135-11-c-140528-

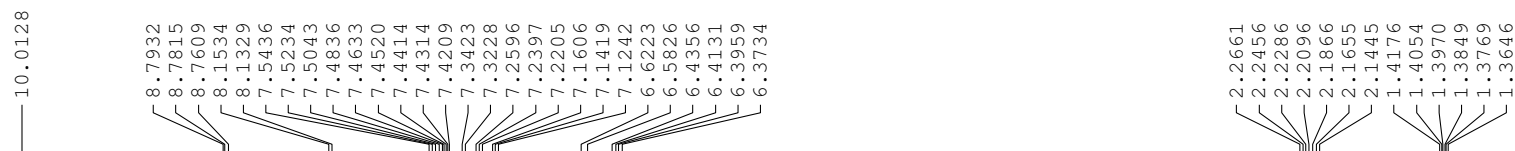
EXPNO 10

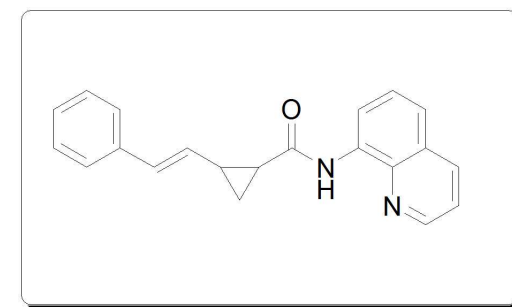
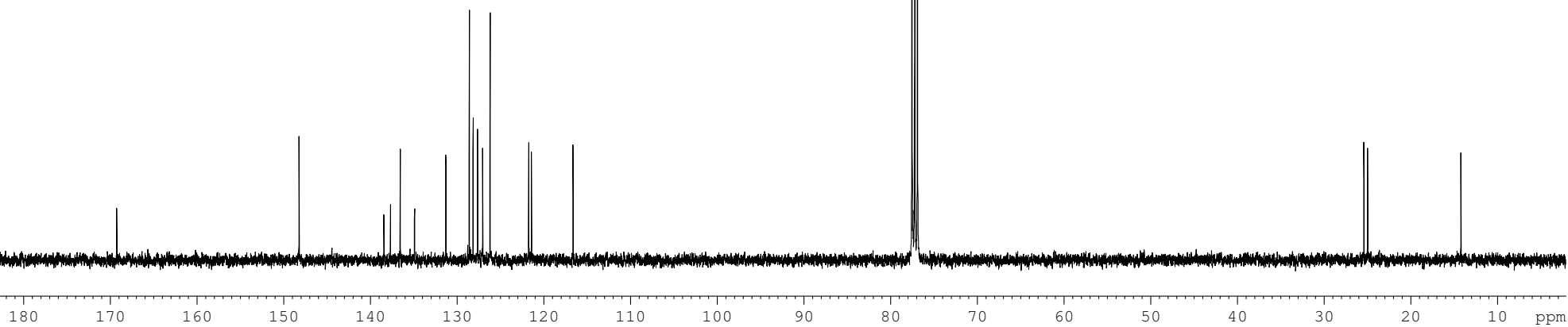
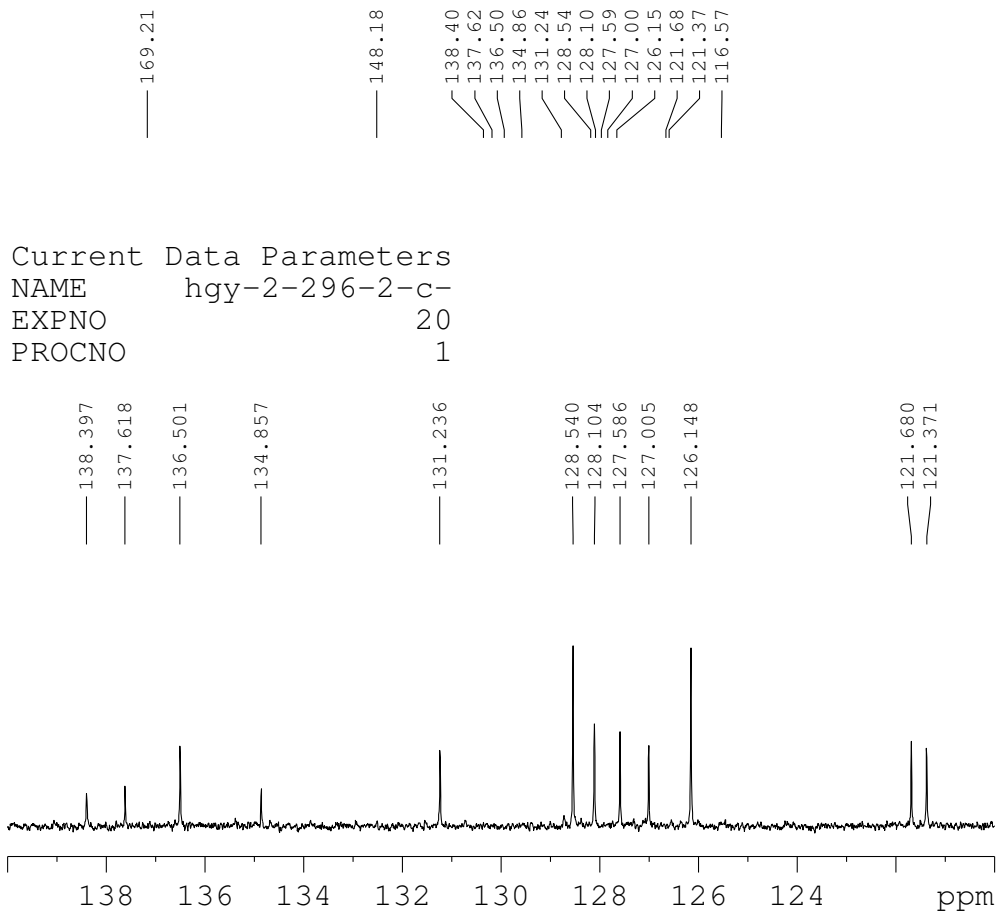
PROCNO 1





Current Data Parameters
 NAME hgy-2-296-2-h-
 EXPNO 10
 PROCNO 1





9.7714

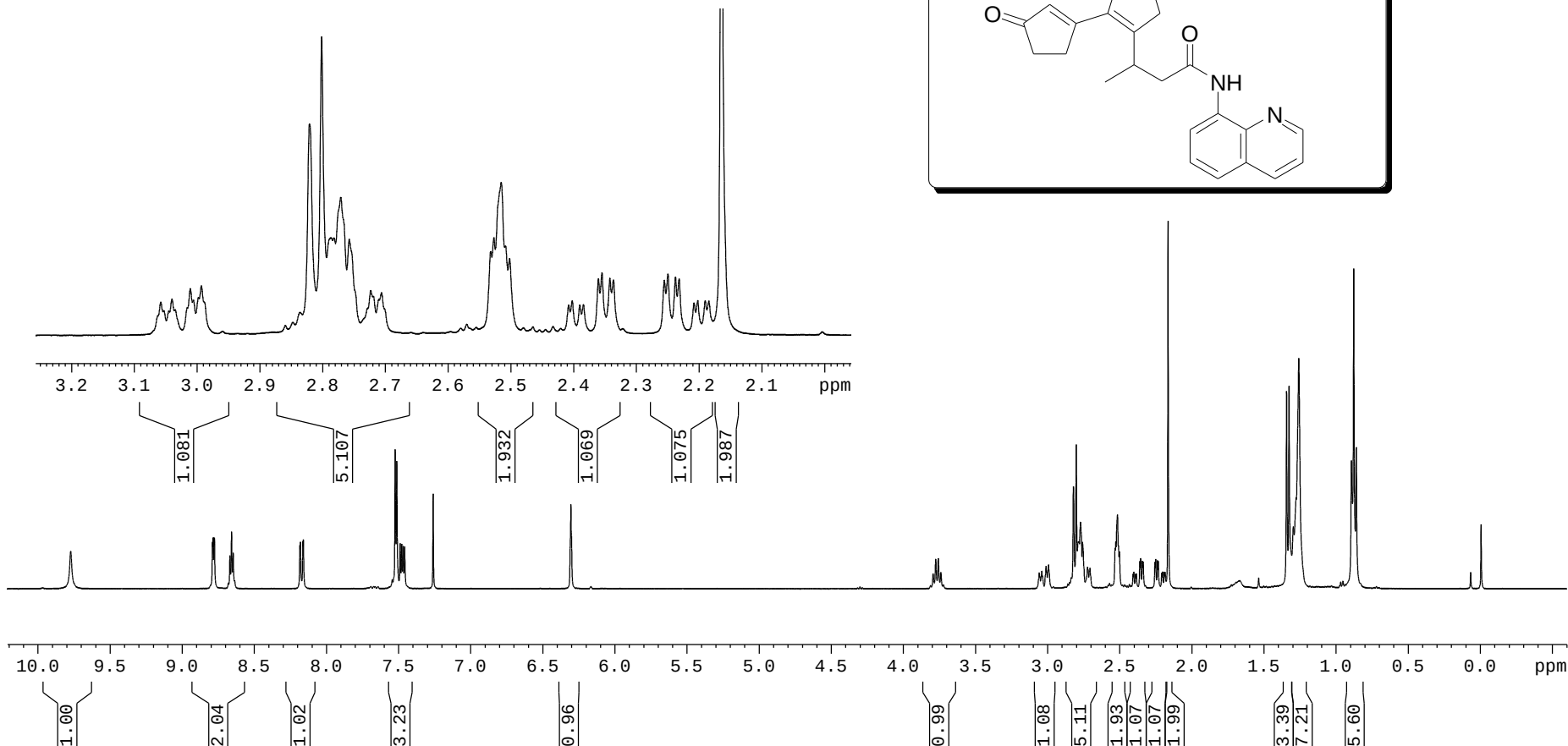
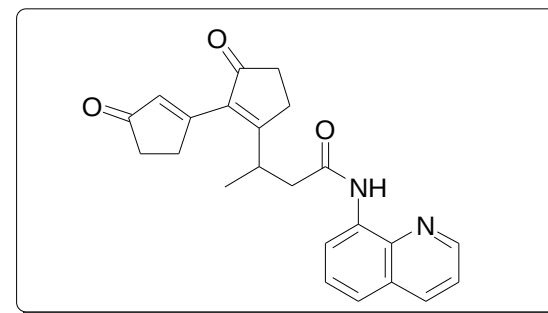
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8.1842
8.1805
8.1635
8.1598
7.5233
7.5123
7.4886
7.4779
7.4679
7.4573
7.2600

6.3051

3.7935
3.7755
3.7574
3.7394
3.0575
3.0397
3.0105
3.0054
2.9975
2.9928
2.8206
2.8011
2.7866
2.7816
2.7707
2.7572
2.7230
2.7189
2.7101
2.7058
2.5318
2.5267
2.5151
2.5083
2.5016
2.4076
2.4019
2.3897
2.3840
2.3602
2.3544
2.3423
2.3366
2.2555
2.2498
2.2377
2.2319
2.2082
2.2023
2.1903
2.1845
1.3427
1.3253
1.2580
0.8934
0.8768

Current Data Parameters
NAME hgy-2-75-a2-140420-
EXPNO 10
PROCNO 1

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3.005
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2.801
2.787
2.782
2.771
2.757
2.723
2.719
2.710
2.706
2.532
2.527
2.515
2.508
2.502
2.408
2.402
2.390
2.384
2.360
2.354
2.342
2.337
2.256
2.250
2.238
2.232
2.208
2.202
2.190
2.184



— 209.73
— 206.44

— 180.62

168.66
168.50

— 148.44

138.23
136.62
136.28
134.01
132.91
128.03
127.44
122.01
121.91
116.61

— 43.12
34.85
34.64
32.87
31.66
30.83
25.81
22.73
19.64
14.21

Current Data Parameters
NAME hgy-2-75-c-140426-
EXPNO 10
PROCNO 1

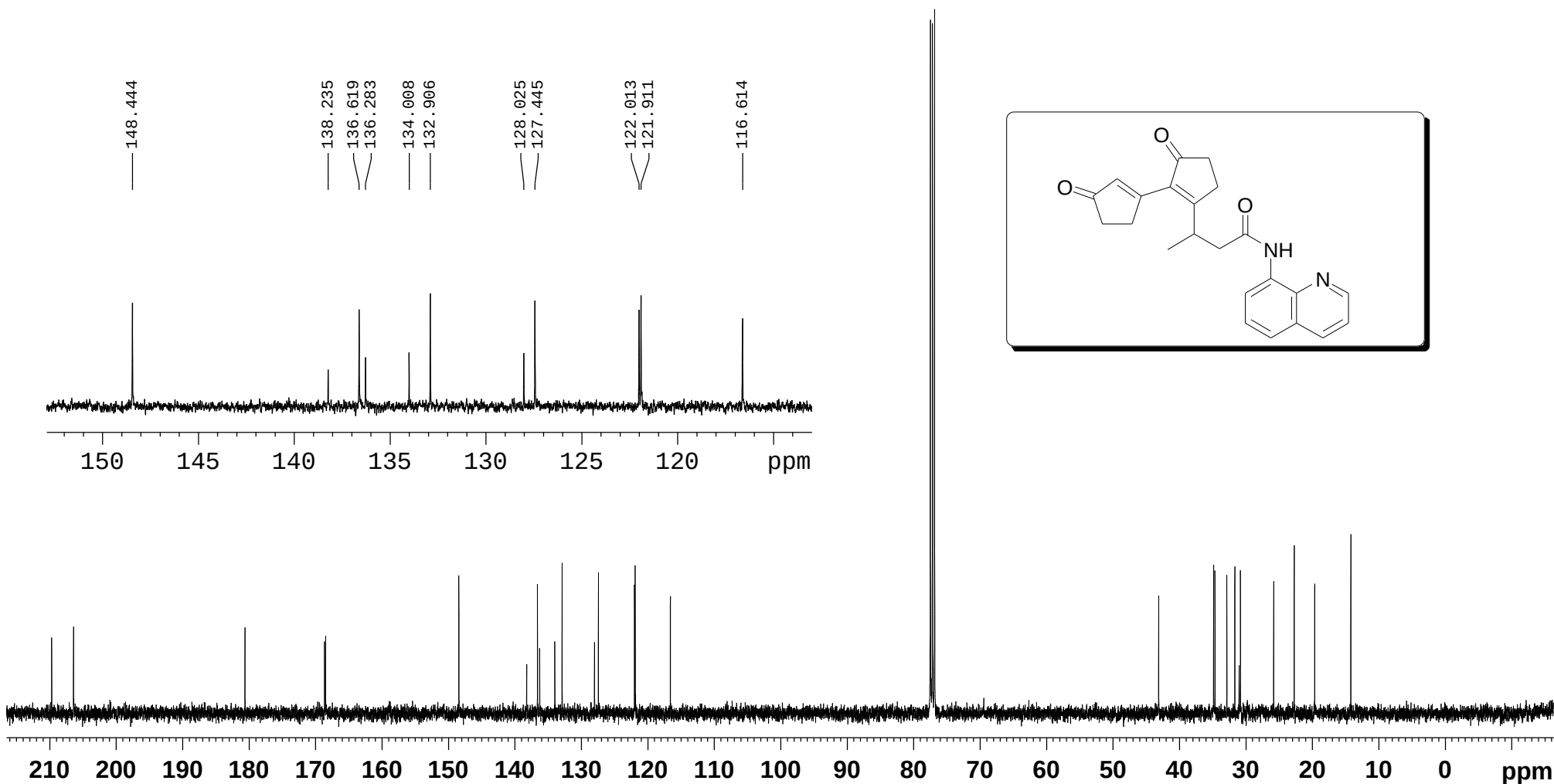
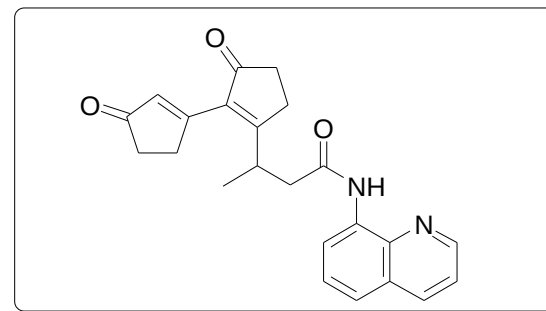
— 148.444

138.235
136.619
136.283
134.008
132.906

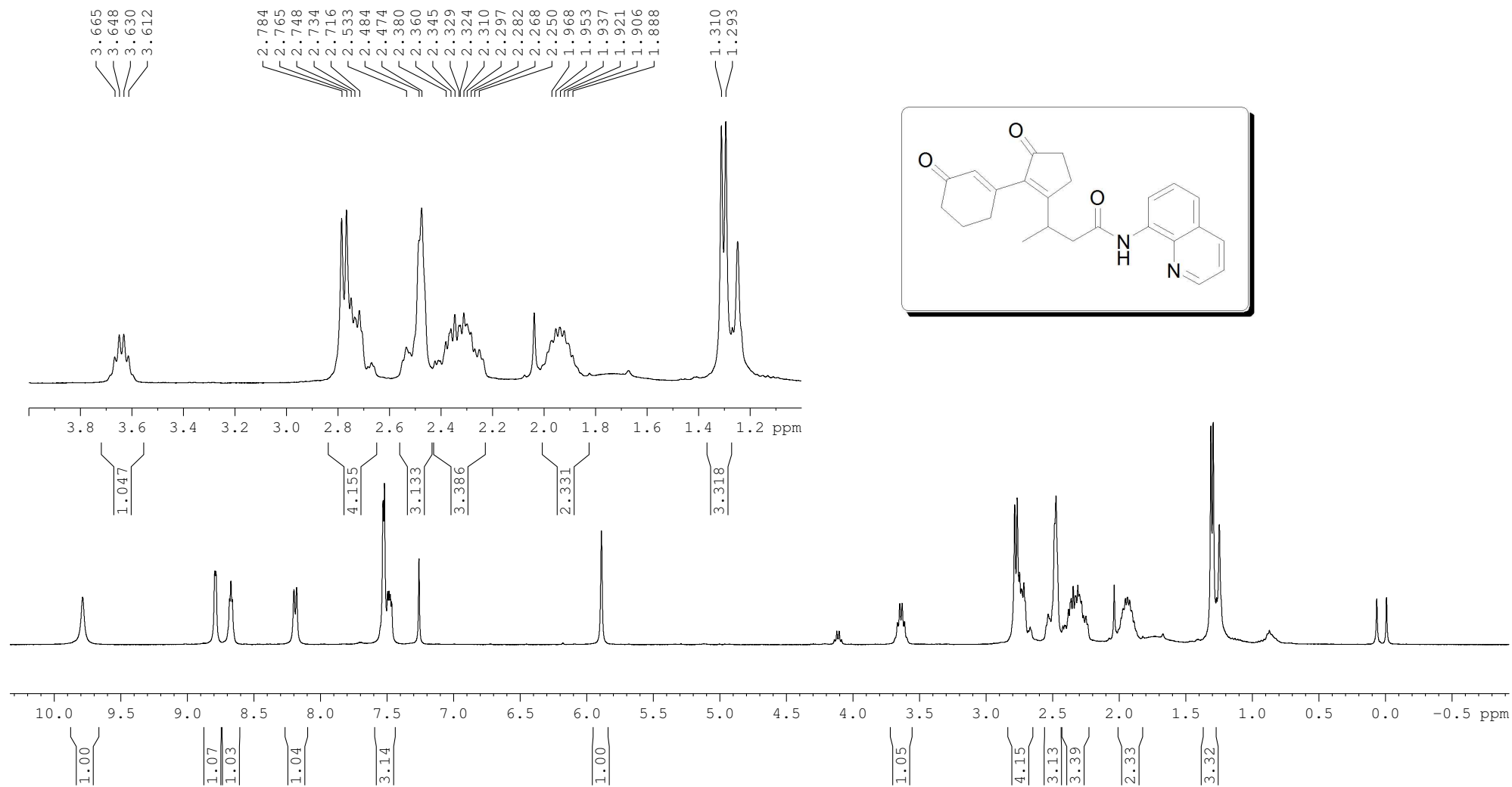
128.025
127.445

122.013
121.911

— 116.614



Current Data Parameters
NAME hgy-2-307-h-20140827-
EXPNO 10
PROCNO 1

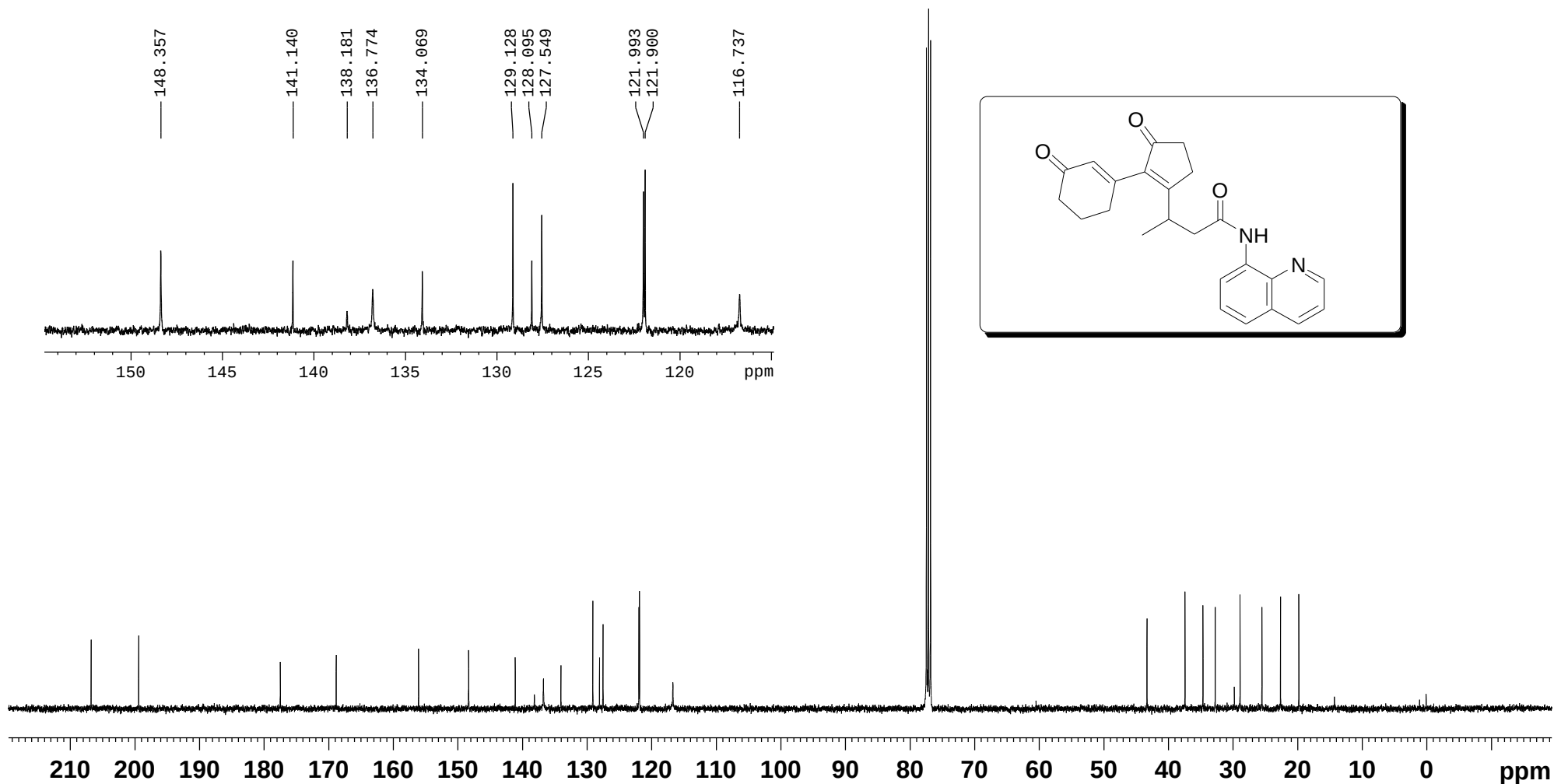


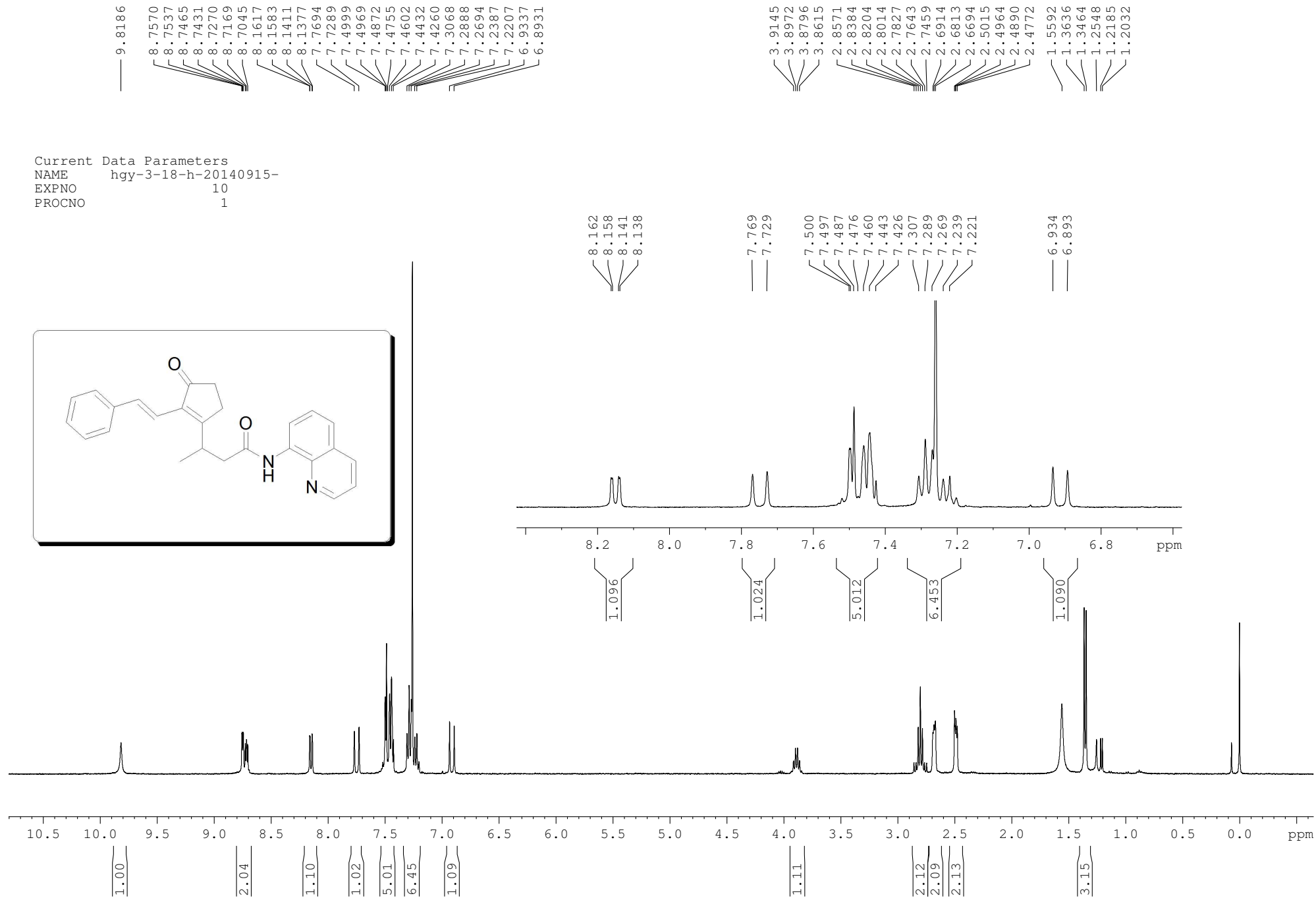
— 206.79
 — 199.43
 — 177.51
 — 168.85
 — 156.11
 — 148.36
 — 141.14
 — 138.18
 — 136.77
 — 134.07
 — 129.13
 — 128.09
 — 127.55
 — 121.99
 — 121.90
 — 116.74

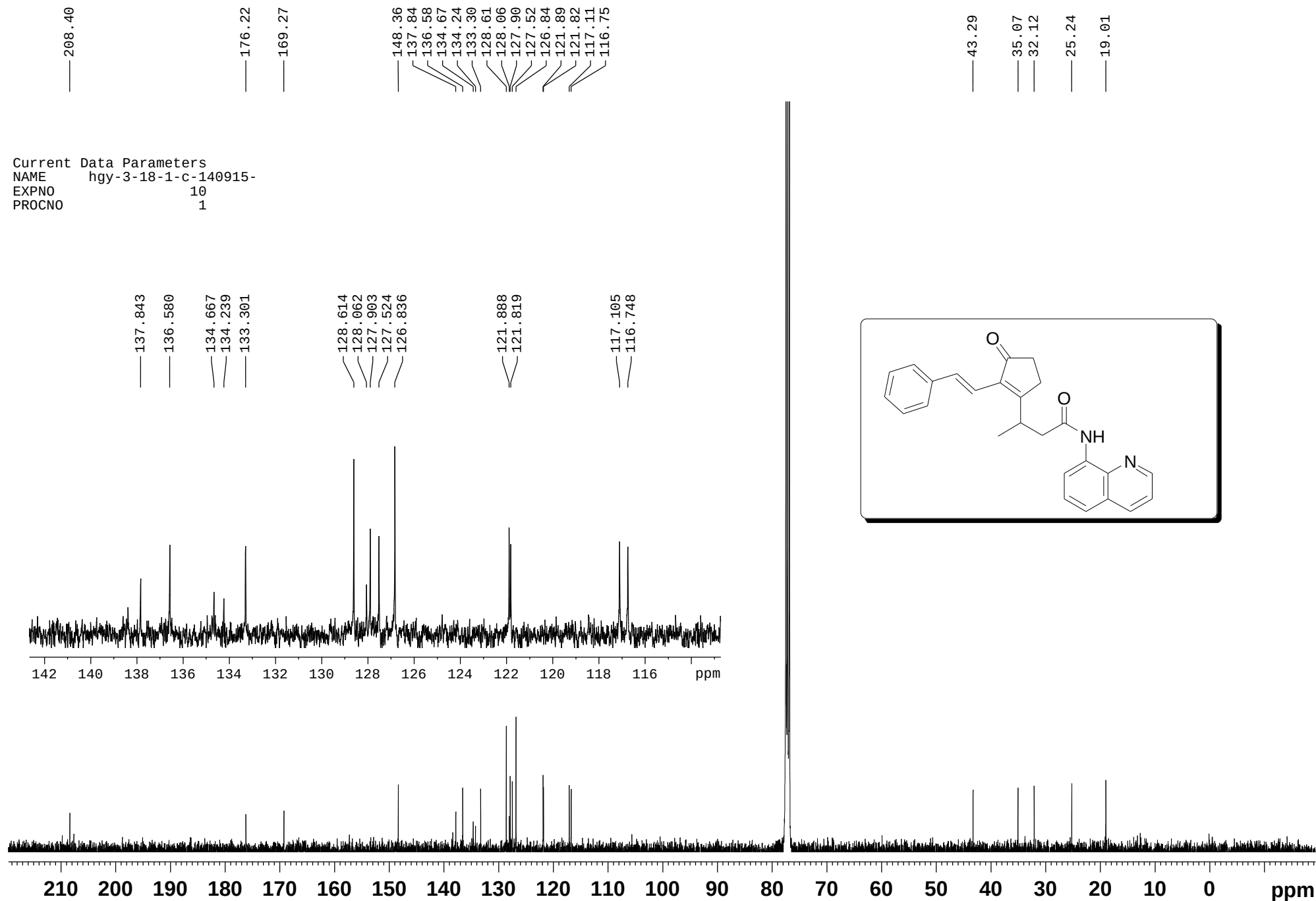
— 77.48
 — 77.16
 — 76.84

— 43.34
 — 37.45
 — 34.68
 — 32.77
 — 28.93
 — 25.54
 — 22.66
 — 19.83

Current Data Parameters
 NAME hgy-2-351-1-h-140901-
 EXPNO 10
 PROCNO 1





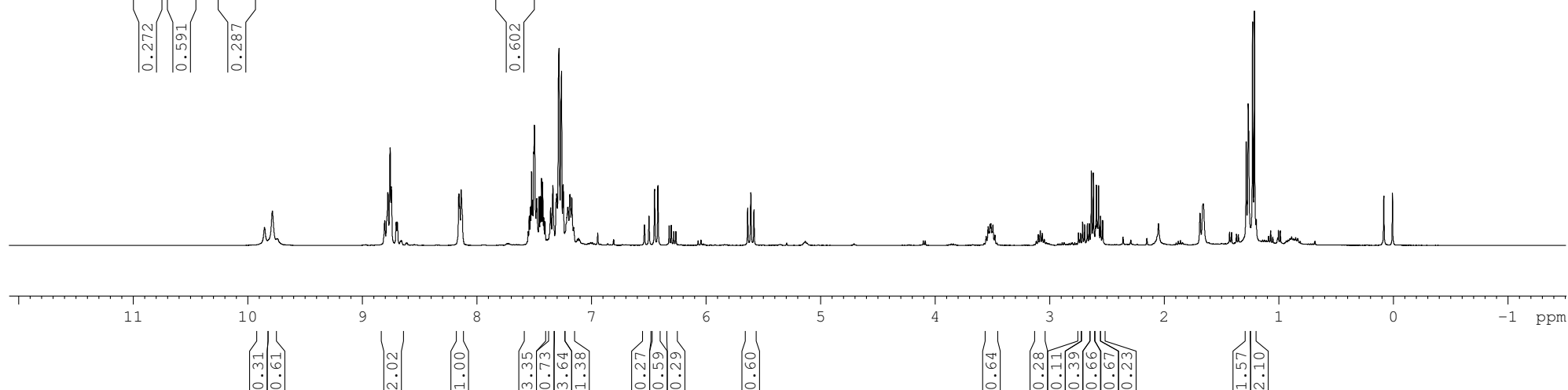
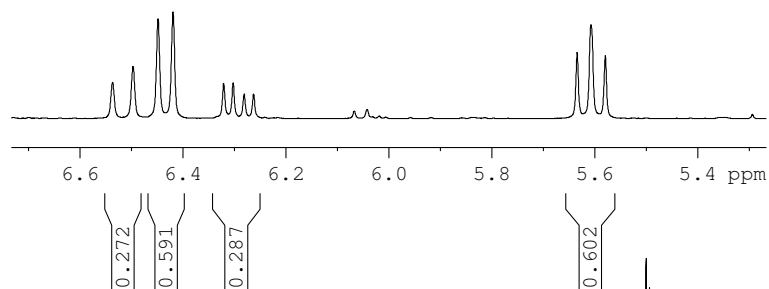
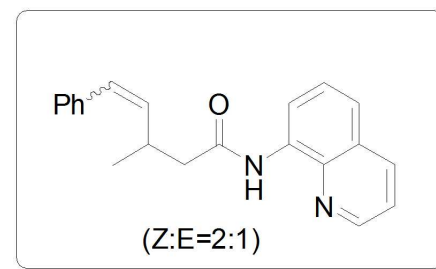


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8.1349
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3.0279
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2.7293
2.7112
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2.5545
2.5359

Current Data Parameters
NAME hgy-2-309-1-h-20140827-
EXPNO 10
PROCNO 1

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6.262

5.635
5.608
5.580



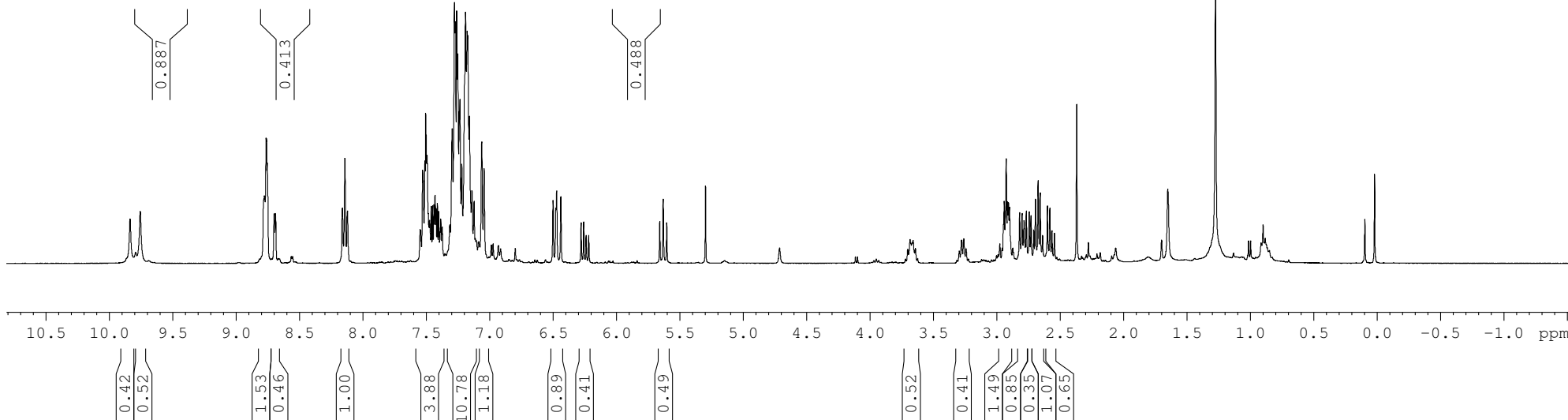
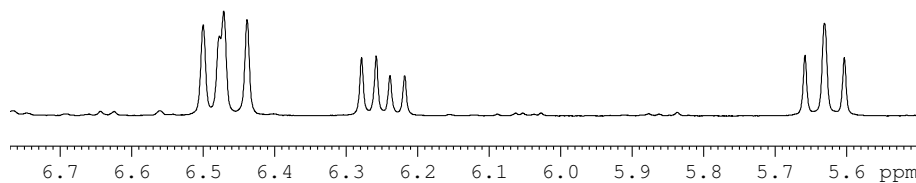
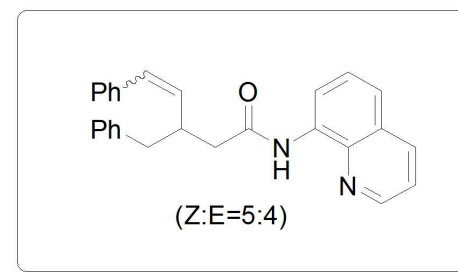
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8.1214
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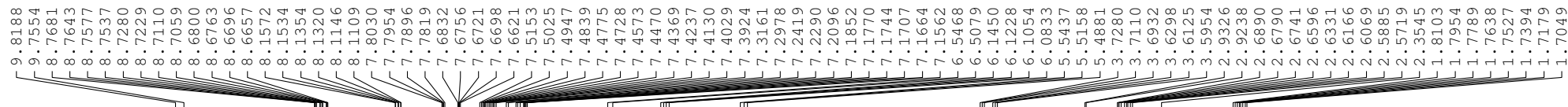
Current Data Parameters
NAME hgy-2-309-2-h-20140827-
EXPNO 20
PROCNO 1

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6.472
6.439

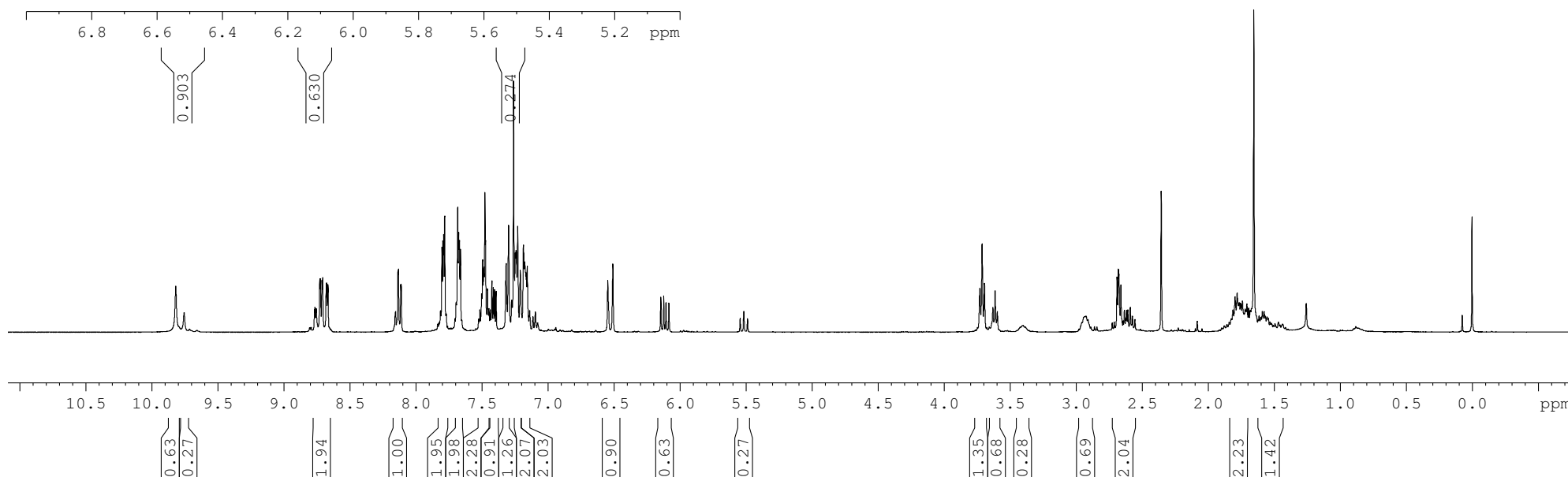
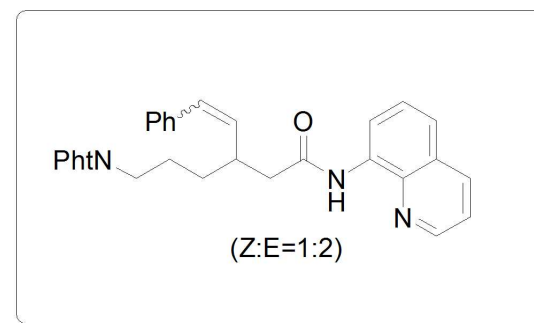
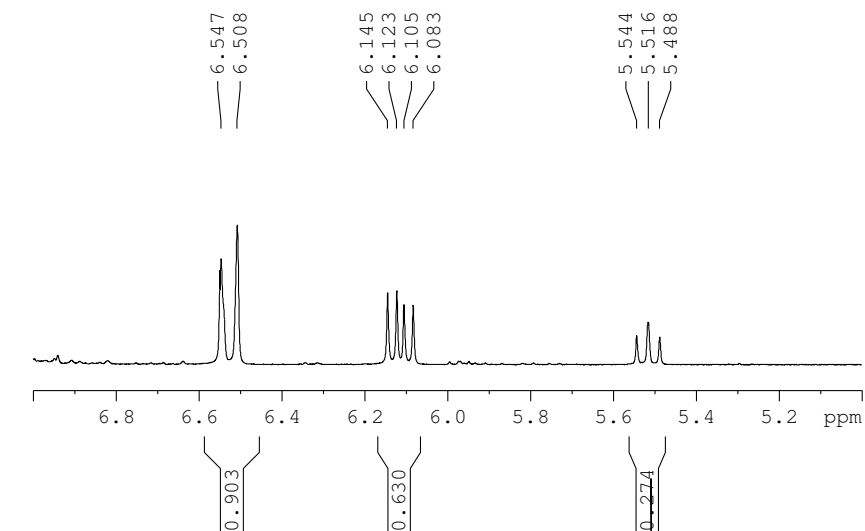
6.279
6.258
6.239
6.218

5.658
5.631
5.603

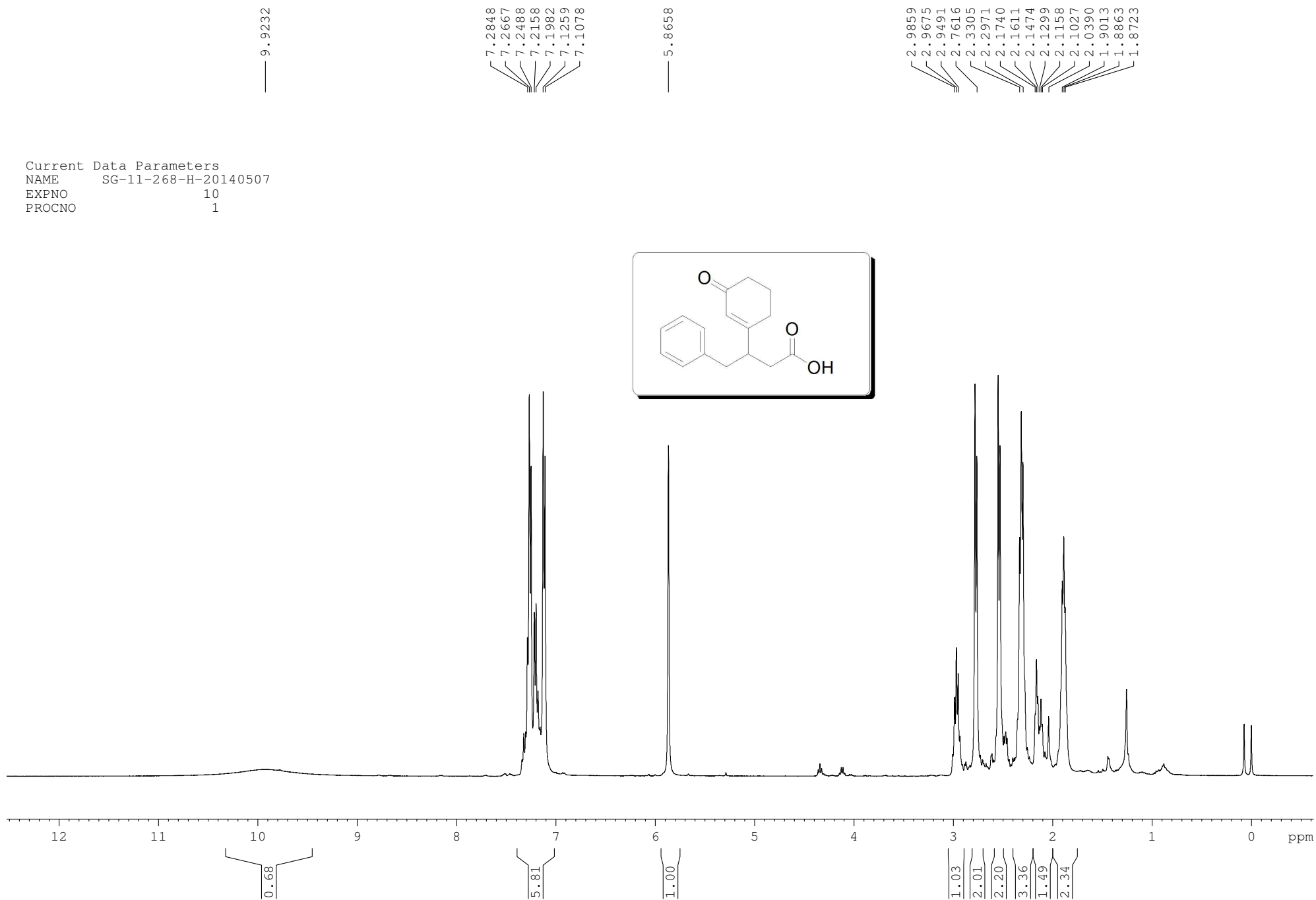




Current Data Parameters
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 EXPNO 10
 PROCNO 1



Current Data Parameters
 NAME SG-11-268-H-20140507
 EXPNO 10
 PROCNO 1



— 200.29
 — 176.76
 — 167.52
 — 138.38
 129.05
 128.67
 126.84
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 77.48
 77.16
 76.85
 — 45.16
 — 40.16
 — 37.45
 — 28.70
 — 22.64

Current Data Parameters

NAME SG-11-268-C-20140508

EXPNO 20

PROCNO 1

