

Supporting Information

Iron-Catalyzed Oxidative Sp^3 Carbon-Hydrogen Bond Functionalization of 3,4-Dihydro-1,4-benzoxazin-2-ones

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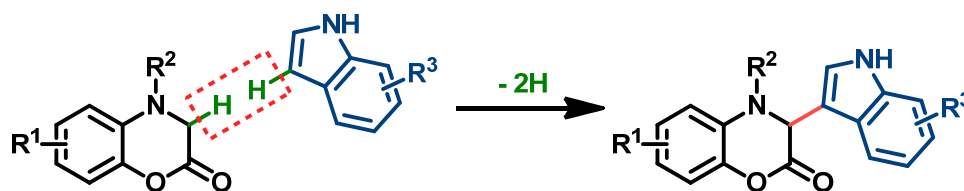


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General information. The starting materials and reagents, purchased from commercial suppliers, were used without further purification. Literature procedures were used for the preparation of 3-unsubstituted 3,4-dihydro-1,4-benzoxazin-2-ones (*Tetrahedron*, **2008**, 64, 5756). Solvents were purified by standard methods. Analytical TLC was performed with silica gel GF254 plates, and the products were visualized by UV detection. Flash chromatography was carried out using silica gel 200–300. ¹HNMR (400 MHz) and ¹³CNMR (100 MHz) spectra were measured with TMS as internal standard when CDCl₃ was used as solvent. All chemical shifts (δ) are reported in ppm and coupling constants (J) in Hz. High resolution mass spectra (HR-MS) were recorded under electrospray ionization (ESI) conditions.

General procedure for the preparation of 3,4-dihydro-1,4-benzoxazin-2-ones (1)

(Literature method: *Tetrahedron*, 2008, 64, 5756)

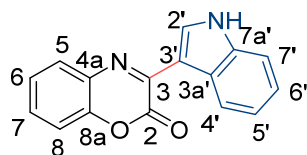
Step 1, To a stirred suspension of 2-aminophenols (20 mmol) and potassium fluoride (50 mmol) in dry N,N-dimethylformamide (100 mL), ethyl 2-bromoacetate (20 mmol) was added. The resulting mixture was stirred at 60 °C for 6 h and the solvent was removed under reduced pressure. The residue was dissolved in EtOAc (100 mL) and washed with saturated aqueous NaHCO₃ (2*30 mL), water (2*30 mL) and brine (2*30 mL). The organic phase was dried over Na₂SO₄, filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography using acetone/petroleum ether as eluant, yielding the corresponding intermediates.

Step 2, To a solution of the corresponding intermediates (10 mmol) and the corresponding aldehyde (12 mmol) in dichloromethane (50 mL), glacial acetic acid (15 mmol) was added and the mixture stirred for 30 min on ice bath. Sodium triacetoxyborohydride (15 mmol) was added in portions. The reaction mixture was stirred overnight and diluted with dichloromethane (50 mL) and the organic phase was washed with saturated aqueous NaHCO₃ (2*30 mL), water (2*30 mL) and brine (2*30 mL), dried over Na₂SO₄, filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography using acetone/petroleum ether as eluant, yielding pure compounds **1a-1h**.

General procedure for Fe(II) catalyzed Cross-Dehydrogenative Coupling Reaction of 3,4-dihydro-1,4-benzoxazin-2-ones

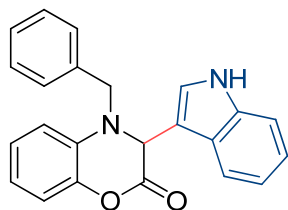
To a stirred solution of 4-benzyl-3,4-Dihydro-1,4-benzoxazin-2-ones (**1**, 0.5 mmol) and indole (**2**, 0.6 mmol) in MeCN (10 mL), FeCl₂ (5 mol%) and TBHP (0.6 mmol) were added. The reactions were performed at room temperature and completed in 1.0 hour as monitored by TLC. The products **3** were isolated by flash column chromatographic separation (acetone/petroleum ether = 1:10 to 1:5).

Comparison of ^1H and ^{13}C NMR data of our synthesized Cephalandole A and the literature values in acetone- d_6

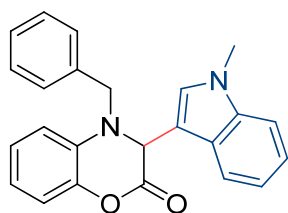


Synthesized by our method			Synthesized by Bergman's method			Natural Cephalandole A		
yellow, amorphous powder			yellow, amorphous powder			yellow, amorphous powder		
			<i>J. Nat. Prod.</i> 2008 , 71, 1447			<i>J. Nat. Prod.</i> 2006 , 69, 1467		
Position	δ_{H} (J/Hz)	δ_{C}	Position	δ_{H} (J/Hz)	δ_{C}	Position	δ_{H} (J/Hz)	δ_{C}
1'	11.08 brs		1'	11.09 br s		1	11.11 br s	
2'	8.82 d	134.6 (CH)	2'	8.83 d (2.9)	134.6 (CH)	2	8.81 d (3.0)	134.6
3'		112.3 (C)	3'		112.4 (C)	3		112.3
4'	8.91-8.87 m	124.1 (CH)	4'	8.92-8.88 m	124.1 (CH)	4	8.88 d (8.1)	124.1
5'	7.31-7.26 m	122.4 (CH)	5'	7.32-7.27 m	122.5 (CH)	5	7.27 m	122.5
6'	7.31-7.26 m	124.1 (CH)	6'	7.32-7.27 m	124.2 (CH)	6	7.27 m	124.1
7'	7.60-7.55 m	112.7 (CH)	7'	7.60-7.56 m	112.8 (CH)	7	7.56 d (8.1)	112.8
7a'		137.8 (C)	7a'		137.9 (C)	8		137.9
3a'		127.3 (C)	3a'		127.4 (C)	9		127.3
3		149.0 (C)	3		149.0 (C)	10		149.0
8a		146.2 (C)	8a		146.2 (C)	1'		146.2
4a		133.2 (C)	4a		133.2 (C)	2'		133.2
5	7.89 dd	128.9 (CH)	5	7.90 dd (7.8, 1.6)	128.9 (CH)	3'	7.89 d (7.8)	128.9
6	7.45-7.41 m	126.1 (CH)	6	7.46-7.42 m	126.1 (CH)	4'	7.43 t (7.8)	126.1
7	7.52-7.46 m	129.6 (CH)	7	7.51-7.48 m	129.6 (CH)	5'	7.49 t (7.8)	129.6
8	7.35 d	116.7 (CH)	8	7.36 dd (8.0, 1.4)	116.7 (CH)	6'	7.35 d (7.8)	116.7
2		153.0(C)	2		153.0 (C)	7'		153.0

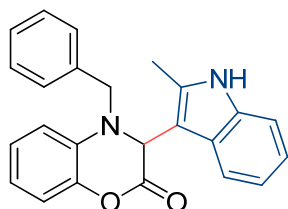
Characterization of the products



4-benzyl-3-(1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3aa). The desired pure product was obtained in 65% yield (115.2 mg) as a yellow solid, mp 64–66 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.14 (s, 1H), 7.50 (d, *J* = 8.0 Hz, 1H), 7.38 – 7.27 (m, 6H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.6 Hz, 2H), 7.07 (t, *J* = 7.8 Hz, 1H), 6.91 (t, *J* = 7.7 Hz, 1H), 6.82 (d, *J* = 8.0 Hz, 1H), 6.72 (d, *J* = 2.3 Hz, 1H), 5.40 (s, 1H), 4.62 (d, *J* = 14.9 Hz, 1H), 4.15 (d, *J* = 14.8 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 164.5, 141.9, 136.1, 135.8, 134.2, 128.8, 128.8, 127.8, 126.1, 125.4, 122.8, 120.5, 119.9, 119.2, 116.6, 113.9, 111.3, 108.8, 55.9, 51.6. HRMS (ESI) exact mass calcd for C₂₃H₁₈N₂O₂ [M+H] *m/z* 355.1441, found 355.1444.

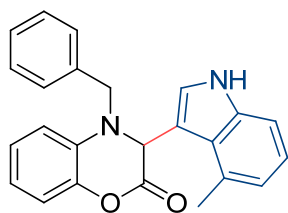


4-benzyl-3-(1-methyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ab). The desired pure product was obtained in 57% yield (105.0 mg) as a white solid, mp 193–195 °C. ¹H NMR (600 MHz, CDCl₃) δ 7.47 (d, *J* = 7.9 Hz, 1H), 7.35 – 7.32 (m, 2H), 7.32 – 7.28 (m, 3H), 7.26 – 7.22 (m, 2H), 7.15 – 7.09 (m, 2H), 7.06 (t, *J* = 7.8 Hz, 1H), 6.91 (t, *J* = 7.7 Hz, 1H), 6.80 (d, *J* = 8.0 Hz, 1H), 6.58 (s, 1H), 5.39 (s, 1H), 4.60 (d, *J* = 14.9 Hz, 1H), 4.15 (d, *J* = 14.9 Hz, 1H), 3.63 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 164.5, 141.8, 136.7, 136.2, 134.1, 128.8, 127.8, 127.7, 127.2, 126.7, 125.3, 122.4, 120.0, 119.8, 119.2, 116.6, 113.8, 109.4, 107.1, 55.8, 51.5, 32.9.

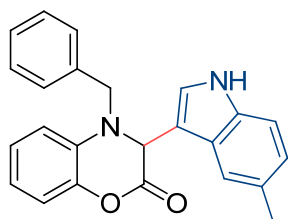


4-benzyl-3-(2-methyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ac). The desired pure product was obtained in 62% yield (114.2 mg) as a white solid, mp 196–198 °C. ¹H NMR (600 MHz, CDCl₃) δ 7.94 (s, 1H), 7.30 – 7.22 (m, 5H), 7.16 (dd, *J* = 17.9, 7.7 Hz, 3H), 7.10 (t, *J* = 7.6 Hz, 1H), 7.06 (dd, *J* = 7.7, 4.2 Hz, 2H), 6.96 (t, *J* = 7.6 Hz, 1H), 6.88 (t, *J* = 7.7 Hz, 1H), 6.80 (d, *J* = 8.1 Hz, 1H), 5.35 (s, 1H), 4.60 (d, *J* = 16.1 Hz, 1H), 3.99 (d, *J* = 16.1 Hz, 1H), 2.07 (s, 3H). ¹³C NMR (151

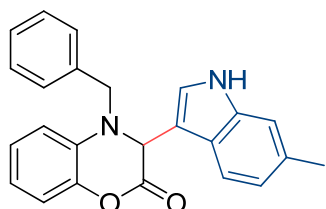
MHz, CDCl₃) δ 166.2, 140.7, 136.6, 135.6, 135.2, 134.6, 128.7, 127.3, 127.2, 126.5, 125.6, 121.7, 120.2, 119.1, 118.6, 117.0, 113.3, 110.7, 105.8, 55.8, 49.9, 11.5.



4-benzyl-3-(4-methyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ad). The desired pure product was obtained in 54% yield (99.5 mg) as a yellow solid, mp 73–75 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.07 (s, 1H), 7.35 – 7.29 (m, 3H), 7.24 – 7.19 (m, 2H), 7.18 – 7.11 (m, 3H), 7.08 (t, J = 7.6 Hz, 1H), 6.93 (dd, J = 11.2, 4.2 Hz, 1H), 6.89 (d, J = 7.9 Hz, 1H), 6.85 (d, J = 7.1 Hz, 1H), 6.64 (d, J = 2.4 Hz, 1H), 5.70 (s, 1H), 4.62 (d, J = 14.4 Hz, 1H), 4.07 (d, J = 14.4 Hz, 1H), 2.48 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 164.9, 141.5, 136.0, 135.8, 134.6, 130.8, 128.9, 128.1, 127.8, 125.4, 124.8, 122.7, 122.7, 122.4, 119.8, 116.6, 113.4, 110.1, 109.2, 55.3, 51.0, 20.5.

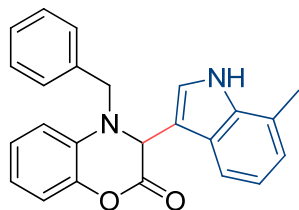


4-benzyl-3-(5-methyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ae). The desired pure product was obtained in 61% yield (112.4 mg) as a white solid, mp 68–69 °C. ¹H NMR (600 MHz, CDCl₃) δ 7.99 (s, 1H), 7.37 – 7.31 (m, 3H), 7.30 – 7.27 (m, 2H), 7.23 (s, 1H), 7.19 (d, J = 8.3 Hz, 1H), 7.11 (dd, J = 8.0, 1.3 Hz, 1H), 7.08 – 7.04 (m, 1H), 7.02 (d, J = 8.3 Hz, 1H), 6.93 – 6.88 (m, 1H), 6.81 (d, J = 8.1 Hz, 1H), 6.66 (s, 1H), 5.36 (s, 1H), 4.59 (d, J = 14.8 Hz, 1H), 4.12 (d, J = 14.8 Hz, 1H), 2.39 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 164.8, 141.9, 136.2, 134.3, 134.1, 129.7, 128.8, 127.9, 127.8, 126.4, 125.4, 124.4, 123.1, 119.9, 118.7, 116.6, 114.0, 111.0, 108.1, 55.9, 51.5, 21.5.

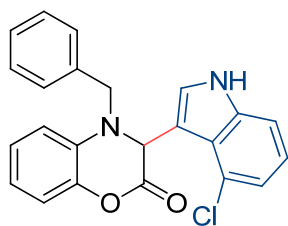


4-benzyl-3-(6-methyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3af). The desired pure product was obtained in 58% yield (106.8 mg) as a white solid, mp 70–72 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.00 (s, 1H), 7.39 – 7.28 (m, 6H), 7.13 – 7.04 (m, 3H), 6.92 (ddd, J = 16.9, 8.8, 4.7 Hz, 2H), 6.81 (d, J = 8.1 Hz, 1H), 6.65 (d, J = 2.4 Hz, 1H), 5.37 (s, 1H), 4.60 (d, J = 14.9 Hz, 1H), 4.15 (d, J =

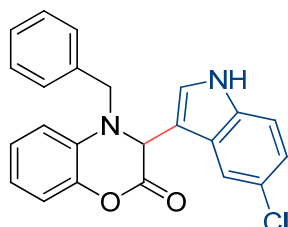
14.9 Hz, 1H), 2.44 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.7, 141.9, 136.3, 136.2, 134.2, 132.7, 128.8, 127.8, 127.8, 125.4, 123.9, 122.4, 122.2, 119.8, 118.7, 116.5, 113.9, 111.2, 108.5, 56.0, 51.6, 21.6.



4-benzyl-3-(7-methyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ag). The desired pure product was obtained in 59% yield (108.7 mg) as a yellow solid, mp 77–79 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.05 (s, 1H), 7.37 – 7.33 (m, 3H), 7.33 – 7.27 (m, 3H), 7.11 (dd, J = 8.0, 1.3 Hz, 1H), 7.09 – 7.03 (m, 2H), 7.00 (d, J = 7.1 Hz, 1H), 6.95 – 6.89 (m, 1H), 6.81 (d, J = 8.1 Hz, 1H), 6.70 (d, J = 2.5 Hz, 1H), 5.39 (s, 1H), 4.60 (d, J = 14.9 Hz, 1H), 4.14 (d, J = 14.9 Hz, 1H), 2.39 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.7, 141.9, 136.2, 135.4, 134.2, 128.9, 127.8, 127.8, 125.7, 125.4, 123.3, 122.7, 120.7, 120.6, 119.9, 116.8, 116.5, 114.0, 109.1, 56.1, 51.6, 16.4.

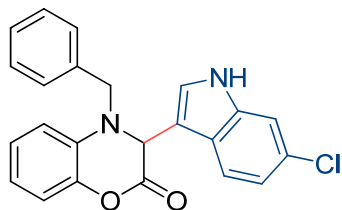


4-benzyl-3-(4-chloro-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ah). The desired pure product was obtained in 49% yield (115.2 mg) as a white solid, mp 195–197 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.21 (s, 1H), 7.32 – 7.22 (m, 6H), 7.17 – 7.07 (m, 3H), 7.01 (t, J = 7.8 Hz, 1H), 6.89 (t, J = 7.7 Hz, 1H), 6.81 (s, 1H), 6.71 (d, J = 8.0 Hz, 1H), 6.24 (s, 1H), 4.45 (d, J = 15.6 Hz, 1H), 4.37 (d, J = 15.6 Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 165.2, 141.7, 137.0, 136.6, 133.9, 128.9, 128.7, 127.4, 127.2, 125.7, 125.4, 123.2, 123.0, 121.9, 119.7, 116.5, 114.6, 110.4, 109.9, 55.5, 51.6.

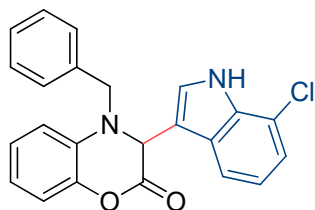


4-benzyl-3-(5-chloro-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ai). The desired pure product was obtained in 63% yield (122.5 mg) as a white solid, mp 157–159 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.18 (s, 1H), 7.42 – 7.30 (m, 4H), 7.29 – 7.24 (m, 2H), 7.20 (d, J = 8.7 Hz, 1H), 7.15 – 7.10 (m, 2H), 7.09 – 7.05 (m, 1H), 6.95 – 6.89 (m, 1H), 6.84 (d, J = 8.0 Hz, 1H), 6.72 (d, J = 2.0 Hz,

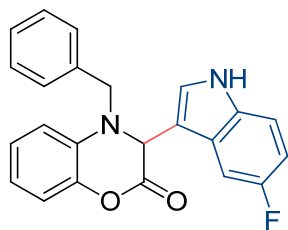
1H), 5.28 (s, 1H), 4.61 (d, $J = 14.7$ Hz, 1H), 4.07 (d, $J = 14.7$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.6, 141.8, 135.8, 134.2, 134.1, 128.9, 128.0, 127.9, 127.1, 126.2, 125.6, 124.3, 123.2, 120.2, 118.7, 116.6, 114.1, 112.4, 108.4, 55.5, 51.6.



4-benzyl-3-(6-chloro-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3aj). The desired pure product was obtained in 51% yield (99.1 mg) as a white solid, mp 41–43 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.19 (s, 1H), 7.36 – 7.30 (m, 4H), 7.29 – 7.23 (m, 3H), 7.12 – 7.04 (m, 3H), 6.94 – 6.90 (m, 1H), 6.85 – 6.82 (m, 1H), 6.69 (d, $J = 2.0$ Hz, 1H), 5.32 (s, 1H), 4.61 (d, $J = 14.7$ Hz, 1H), 4.08 (d, $J = 14.7$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.6, 141.8, 136.2, 135.8, 134.0, 128.9, 128.8, 127.9, 127.9, 125.5, 124.6, 123.6, 121.2, 120.1, 120.0, 116.6, 113.9, 111.3, 108.9, 55.6, 51.6.

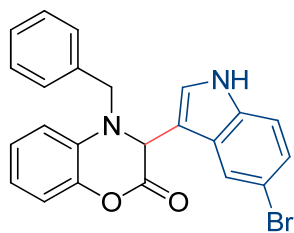


4-benzyl-3-(7-chloro-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ak). The desired pure product was obtained in 67% yield (130.2 mg) as a white solid, mp 146–147 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.36 (s, 1H), 7.41 – 7.25 (m, 6H), 7.20 (d, $J = 7.6$ Hz, 1H), 7.14 – 7.02 (m, 3H), 6.92 (t, $J = 7.7$ Hz, 1H), 6.84 (d, $J = 7.7$ Hz, 1H), 6.77 (d, $J = 2.2$ Hz, 1H), 5.36 (s, 1H), 4.62 (d, $J = 14.7$ Hz, 1H), 4.11 (d, $J = 14.7$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.4, 141.8, 135.9, 134.0, 133.2, 128.9, 127.9, 127.9, 127.5, 125.5, 123.6, 122.2, 121.3, 120.1, 117.9, 116.8, 116.7, 114.0, 109.9, 55.8, 51.7.

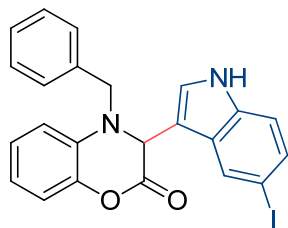


4-benzyl-3-(5-fluoro-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3al). The desired pure product was obtained in 62% yield (115.4 mg) as a yellow solid, mp 171–173 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.13 (s, 1H), 7.36 – 7.29 (m, 3H), 7.26 (d, $J = 6.8$ Hz, 2H), 7.21 (dd, $J = 8.7, 4.1$ Hz, 1H), 7.13 – 7.04 (m, 3H), 6.96 – 6.89 (m, 2H), 6.84 (d, $J = 8.0$ Hz, 1H), 6.75 (s, 1H), 5.28 (s, 1H), 4.62 (d, $J = 14.7$ Hz, 1H), 4.10 (d, $J = 14.7$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.5, 141.8, 135.9,

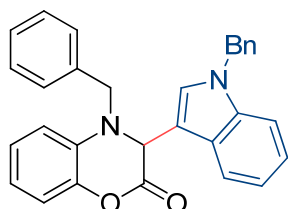
134.1, 132.3, 128.9, 127.9, 127.9, 125.5, 124.6, 120.1, 120.0, 116.6, 112.1, 112.0, 111.5, 111.3, 104.3, 104.1, 55.8, 51.6.



4-benzyl-3-(5-bromo-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3am). The desired pure product was obtained in 67% yield (145.2 mg) as a white solid, mp 150–152 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.18 (s, 1H), 7.55 (s, 1H), 7.42 – 7.23 (m, 6H), 7.17 (d, *J* = 8.5 Hz, 1H), 7.13 (d, *J* = 7.8 Hz, 1H), 7.09 (t, *J* = 7.8 Hz, 1H), 6.94 (t, *J* = 7.7 Hz, 1H), 6.85 (d, *J* = 7.9 Hz, 1H), 6.71 (s, 1H), 5.29 (s, 1H), 4.62 (d, *J* = 14.6 Hz, 1H), 4.07 (d, *J* = 14.6 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 164.4, 141.9, 135.7, 134.4, 134.0, 158.9, 128.0, 128.0, 127.7, 125.8, 125.5, 124.0, 121.8, 120.2, 116.6, 114.1, 113.8, 112.7, 108.5, 55.3, 51.6.

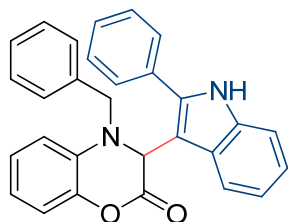


4-benzyl-3-(5-iodo-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3an). The desired pure product was obtained in 66% yield (158.5 mg) as a white solid, mp 164–166 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.18 (s, 1H), 7.70 (s, 1H), 7.42 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.40 – 7.32 (m, 3H), 7.27 (d, *J* = 6.9 Hz, 2H), 7.15 – 7.03 (m, 3H), 6.97 – 6.91 (m, 1H), 6.84 (d, *J* = 8.1 Hz, 1H), 6.65 (d, *J* = 2.5 Hz, 1H), 5.27 (s, 1H), 4.60 (d, *J* = 14.6 Hz, 1H), 4.03 (d, *J* = 14.6 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 164.6, 141.9, 135.7, 134.8, 134.1, 131.2, 129.0, 128.5, 128.0, 128.0, 125.6, 123.7, 120.2, 116.6, 114.2, 113.3, 113.2, 108.0, 84.0, 55.2, 51.5.

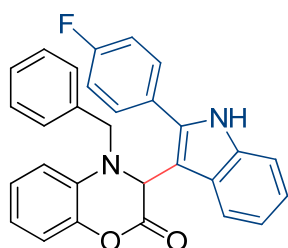


4-benzyl-3-(1-benzyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ao). The desired pure product was obtained in 59% yield (131.0 mg) as a yellow solid, mp 148–150 °C. ¹H NMR (600 MHz, CDCl₃) δ 7.51 – 7.48 (m, 1H), 7.37 – 7.31 (m, 3H), 7.31 – 7.23 (m, 5H), 7.22 (d, *J* = 8.1 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.11 (dd, *J* = 5.2, 1.7 Hz, 2H), 7.05 (t, *J* = 7.7 Hz, 1H), 6.99 – 6.94 (m, 2H),

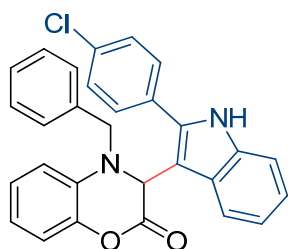
6.90 (dd, $J = 9.7, 5.6$ Hz, 1H), 6.79 (d, $J = 7.9$ Hz, 1H), 6.67 (d, $J = 2.3$ Hz, 1H), 5.42 (s, 1H), 5.14 (s, 2H), 4.60 (d, $J = 14.8$ Hz, 1H), 4.16 (d, $J = 14.8$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.6, 142.0, 136.7, 136.3, 136.2, 134.2, 128.9, 128.8, 127.9, 127.8, 127.8, 127.0, 126.7, 125.4, 122.6, 120.3, 120.0, 119.5, 116.6, 114.0, 110.1, 107.7, 56.0, 51.7, 50.2.



4-benzyl-3-(2-phenyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ap). The desired pure product was obtained in 69% yield (148.5 mg) as a yellow solid, mp 87–89 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.31 (s, 1H), 7.49 (dd, $J = 7.4, 1.7$ Hz, 2H), 7.39 – 7.33 (m, 3H), 7.31 (d, $J = 8.0$ Hz, 1H), 7.17 (t, $J = 8.6$ Hz, 3H), 7.12 – 7.05 (m, 3H), 7.03 – 6.98 (m, 2H), 6.93 (d, $J = 6.7$ Hz, 2H), 6.87 (t, $J = 7.7$ Hz, 1H), 6.69 (d, $J = 8.1$ Hz, 1H), 5.58 (s, 1H), 4.41 (d, $J = 16.2$ Hz, 1H), 3.87 (d, $J = 16.2$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 166.4, 140.5, 139.5, 136.5, 136.0, 134.2, 131.4, 129.0, 128.9, 128.7, 128.5, 127.0, 127.0, 126.3, 125.6, 122.9, 120.7, 119.9, 118.9, 117.0, 113.2, 111.3, 107.4, 56.2, 50.0.

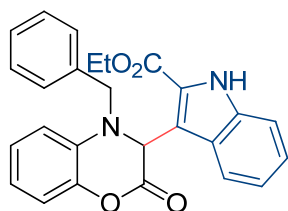


4-benzyl-3-(2-(4-fluorophenyl)-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3aq). The desired pure product was obtained in 62% yield (138.9mg) as a yellow solid, mp 67–69 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.17 (s, 1H), 7.49 – 7.42 (m, 2H), 7.36 (d, $J = 8.1$ Hz, 1H), 7.18 (dd, $J = 14.6, 7.5$ Hz, 2H), 7.14 – 7.06 (m, 4H), 7.06 – 6.98 (m, 4H), 6.92 (d, $J = 7.1$ Hz, 2H), 6.87 (t, $J = 7.7$ Hz, 1H), 6.71 (d, $J = 8.1$ Hz, 1H), 5.48 (s, 1H), 4.46 (d, $J = 16.2$ Hz, 1H), 3.86 (d, $J = 16.2$ Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 166.2, 140.4, 138.2, 136.2, 135.8, 134.1, 130.8, 130.8, 128.4, 127.1, 126.9, 126.2, 125.6, 123.0, 120.8, 119.9, 118.9, 117.0, 115.9, 115.8, 113.0, 111.1, 107.7, 55.8, 49.9.



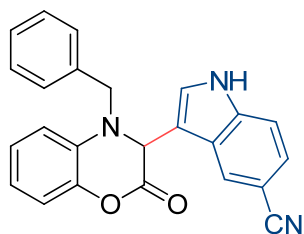
4-benzyl-3-(2-(4-chlorophenyl)-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ar). The

desired pure product was obtained in 60% yield (139.5 mg) as a white solid, mp 80–82 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.17 (s, 1H), 7.42 – 7.30 (m, 5H), 7.21 – 7.15 (m, 2H), 7.14 – 7.06 (m, 4H), 7.05 – 6.97 (m, 2H), 6.93 – 6.85 (m, 3H), 6.71 (d, *J* = 8.0 Hz, 1H), 5.49 (s, 1H), 4.46 (d, *J* = 16.1 Hz, 1H), 3.84 (d, *J* = 16.1 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 166.2, 140.4, 137.9, 136.1, 135.9, 134.9, 134.1, 130.1, 129.7, 129.0, 128.5, 127.1, 126.9, 126.2, 125.7, 123.1, 120.9, 119.9, 119.0, 117.0, 113.0, 111.2, 108.0, 55.6, 49.8.



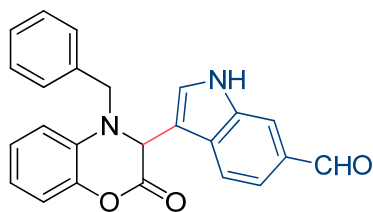
Ethyl 3-(4-benzyl-2-oxo-3,4-dihydro-2H-benzo[b][1,4]oxazin-3-yl)-1H-indole-2-carboxylate (3as).

The desired pure product was obtained in 48% yield (102.4 mg) as a yellow solid, mp 134–136 °C. ¹H NMR (600 MHz, CDCl₃) δ 9.19 (s, 1H), 7.44 (d, *J* = 8.2 Hz, 1H), 7.34 (d, *J* = 8.3 Hz, 1H), 7.28 (t, *J* = 7.7 Hz, 1H), 7.23 – 7.16 (m, 4H), 7.10 (d, *J* = 7.0 Hz, 2H), 7.08 – 7.05 (m, 1H), 7.04 – 7.00 (m, 1H), 6.91 – 6.86 (m, 1H), 6.74 (d, *J* = 7.9 Hz, 1H), 6.44 (s, 1H), 4.50 (d, *J* = 16.6 Hz, 1H), 4.25 (d, *J* = 10.7, 7.2 Hz, 1H), 4.18 – 4.11 (m, 2H), 1.24 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 165.9, 161.2, 140.4, 137.0, 135.8, 134.1, 128.5, 127.1, 126.8, 126.0, 126.0, 125.9, 125.6, 121.4, 120.9, 119.1, 117.0, 116.5, 113.5, 112.4, 61.4, 55.6, 50.8, 14.1.

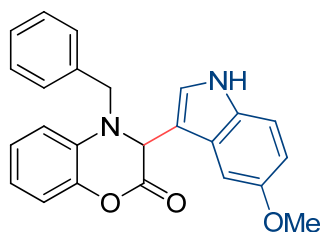


3-(4-benzyl-2-oxo-3,4-dihydro-2H-benzo[b][1,4]oxazin-3-yl)-1H-indole-5-carbonitrile (3at).

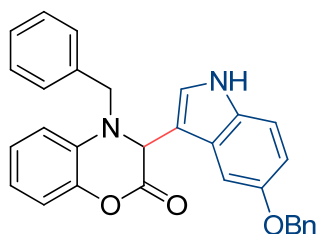
The desired pure product was obtained in 63% yield (119.5 mg) as a white solid, mp 84–86 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.49 (s, 1H), 7.76 (s, 1H), 7.45 – 7.31 (m, 5H), 7.25 (d, *J* = 7.7 Hz, 2H), 7.11 (t, *J* = 8.2 Hz, 2H), 6.95 (t, *J* = 7.7 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 6.87 (d, *J* = 2.1 Hz, 1H), 5.34 (s, 1H), 4.66 (d, *J* = 14.5 Hz, 1H), 4.08 (d, *J* = 14.5 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 164.2, 141.8, 137.6, 135.5, 133.8, 129.0, 128.2, 128.0, 125.8, 125.7, 125.7, 125.1, 125.0, 120.4, 120.2, 116.7, 114.2, 112.4, 109.7, 103.6, 55.3, 51.8.



3-(4-benzyl-2-oxo-3,4-dihydro-2H-benzo[b][1,4]oxazin-3-yl)-1H-indole-6-carbaldehyde (3au). The desired pure product was obtained in 54 % yield (103.2 mg) as a yellow solid, mp 85–87 °C. ¹H NMR (600 MHz, CDCl₃) δ 9.99 (s, 1H), 8.77 (s, 1H), 7.86 (s, 1H), 7.61 (d, *J* = 8.3 Hz, 1H), 7.56 (d, *J* = 8.3 Hz, 1H), 7.37 – 7.30 (m, 3H), 7.26 (d, *J* = 5.7 Hz, 2H), 7.11 (dd, *J* = 18.1, 7.9 Hz, 2H), 6.98 – 6.91 (m, 2H), 6.87 (d, *J* = 8.0 Hz, 1H), 5.39 (s, 1H), 4.64 (d, *J* = 14.7 Hz, 1H), 4.10 (d, *J* = 14.7 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 192.5, 164.6, 141.7, 135.7, 135.5, 133.9, 131.5, 131.0, 128.9, 128.0, 127.9, 127.5, 125.7, 121.6, 120.3, 119.4, 116.7, 114.2, 114.1, 109.4, 55.5, 51.6.

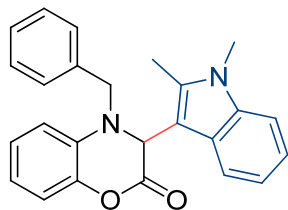


4-benzyl-3-(5-methoxy-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3av). The desired pure product was obtained in 64% yield (123.0 mg) as a white solid, mp 147–149 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.07 (s, 1H), 7.38 – 7.33 (m, 2H), 7.31 (dd, *J* = 12.3, 7.3 Hz, 3H), 7.19 (d, *J* = 8.8 Hz, 1H), 7.13 (d, *J* = 8.0 Hz, 1H), 7.08 (t, *J* = 7.8 Hz, 1H), 6.91 (t, *J* = 7.7 Hz, 1H), 6.86 – 6.82 (m, 2H), 6.80 (s, 1H), 6.71 (s, 1H), 5.34 (s, 1H), 4.62 (d, *J* = 14.8 Hz, 1H), 4.10 (d, *J* = 14.7 Hz, 1H), 3.72 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 164.6, 154.6, 141.8, 136.1, 134.3, 130.8, 128.8, 127.9, 127.8, 126.4, 125.4, 123.7, 119.8, 116.6, 113.7, 113.6, 112.1, 108.7, 100.4, 55.8, 55.7, 51.3.

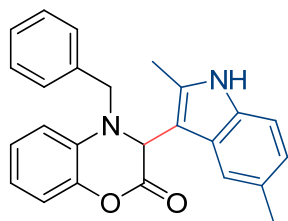


4-benzyl-3-(5-(benzyloxy)-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3aw). The desired pure product was obtained in 60% yield (138.2 mg) as a yellow solid, mp 65–67 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.03 (s, 1H), 7.50 – 7.37 (m, 4H), 7.37 – 7.27 (m, 6H), 7.21 (d, *J* = 9.5 Hz, 1H), 7.14 (dd, *J* = 7.9, 1.1 Hz, 1H), 7.10 – 7.05 (m, 1H), 6.91 (dt, *J* = 8.8, 3.9 Hz, 3H), 6.83 (d, *J* = 8.0 Hz, 1H), 6.74 (s, 1H), 5.34 (s, 1H), 4.93 (q, *J* = 11.4 Hz, 2H), 4.61 (d, *J* = 14.8 Hz, 1H), 4.11 (d, *J* = 14.8 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 164.9, 153.8, 141.8, 137.4, 136.2, 134.3, 131.2, 128.9, 128.6, 127.9, 127.8, 127.7, 126.5, 126.3, 125.6, 124.1, 119.8, 116.6, 114.1, 113.9, 112.3, 108.6, 101.9, 70.7,

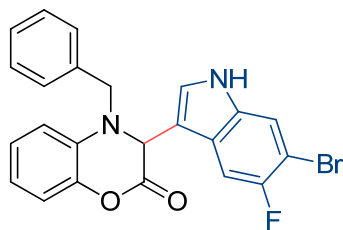
56.1, 51.3.



4-benzyl-3-(1,2-dimethyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ax). The desired pure product was obtained in 51% yield (97.5 mg) as a brown solid, mp 196–198 °C. ^1H NMR (600 MHz, CDCl_3) δ 7.29 – 7.22 (m, 4H), 7.19 – 7.12 (m, 4H), 7.10 (d, J = 8.0 Hz, 1H), 7.07 – 7.02 (m, 1H), 6.96 (t, J = 7.3 Hz, 1H), 6.90 – 6.85 (m, 1H), 6.78 (d, J = 7.7 Hz, 1H), 5.38 (s, 1H), 4.56 (d, J = 16.2 Hz, 1H), 3.98 (d, J = 16.2 Hz, 1H), 3.62 (s, 3H), 2.11 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 166.0, 140.7, 137.0, 136.8, 134.6, 128.7, 127.2, 127.1, 125.8, 125.5, 121.3, 120.0, 119.0, 118.6, 117.0, 113.2, 109.0, 105.3, 56.2, 49.9, 29.6, 10.3.

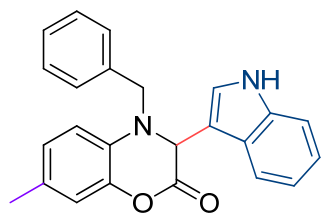


4-benzyl-3-(2,5-dimethyl-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ay). The desired pure product was obtained in 41% yield (78.4 mg) as a brown solid, mp 148–150 °C. ^1H NMR (600 MHz, CDCl_3) δ 7.87 (s, 1H), 7.27 (t, J = 7.2 Hz, 2H), 7.23 (t, J = 7.2 Hz, 1H), 7.18 (d, J = 7.9 Hz, 1H), 7.15 – 7.10 (m, 3H), 7.08 – 7.05 (m, 1H), 6.90 (d, J = 7.8 Hz, 2H), 6.81 (s, 1H), 6.76 (s, 1H), 5.29 (s, 1H), 4.58 (d, J = 16.0 Hz, 1H), 3.95 (d, J = 16.1 Hz, 1H), 2.25 (s, 3H), 1.97 (d, J = 6.5 Hz, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 166.3, 140.9, 136.6, 135.5, 134.9, 133.5, 129.3, 128.7, 127.3, 126.8, 125.5, 123.1, 119.1, 118.6, 117.0, 113.4, 110.2, 105.3, 55.8, 49.9, 21.5, 11.6.

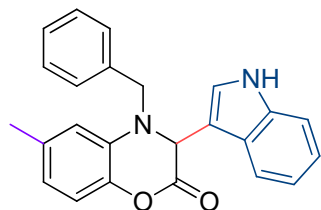


4-benzyl-3-(6-bromo-5-fluoro-1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3az). The desired pure product was obtained in 42% yield (94.8 mg) as a white solid, mp 68–70 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.21 (s, 1H), 7.45 (d, J = 5.6 Hz, 1H), 7.38 – 7.29 (m, 3H), 7.24 (d, J = 7.6 Hz, 2H), 7.15 – 7.06 (m, 3H), 6.95 – 6.90 (m, 1H), 6.85 (d, J = 8.0 Hz, 1H), 6.73 (d, J = 2.6 Hz, 1H), 5.23 (s, 1H), 4.62 (d, J = 14.6 Hz, 1H), 4.06 (d, J = 14.6 Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.4,

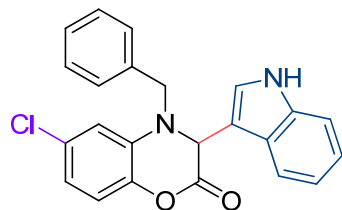
141.7, 135.6, 133.9, 132.7, 128.9, 128.0, 127.9, 125.6, 125.0, 120.3, 116.7, 115.4, 114.0, 109.1, 105.3, 105.1, 104.4, 104.4, 55.5, 51.6.



4-benzyl-3-(1H-indol-3-yl)-7-methyl-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ba). The desired pure product was obtained in 61% yield (112.4 mg) as a yellow solid, mp 173–175 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.11 (s, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.35 – 7.26 (m, 6H), 7.12 (t, *J* = 7.6 Hz, 1H), 6.93 (s, 1H), 6.86 (d, *J* = 8.1 Hz, 1H), 6.72 – 6.68 (m, 2H), 5.37 (s, 1H), 4.55 (d, *J* = 14.8 Hz, 1H), 4.11 (d, *J* = 14.8 Hz, 1H), 2.31 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 164.8, 141.9, 136.3, 135.8, 131.7, 129.9, 128.8, 127.8, 127.7, 126.2, 125.7, 122.9, 122.7, 120.4, 119.1, 117.0, 114.0, 111.2, 108.7, 56.0, 51.8, 20.5.

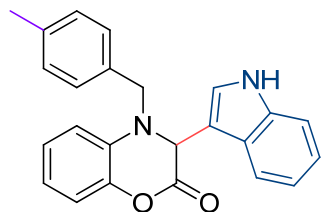


4-benzyl-3-(1H-indol-3-yl)-6-methyl-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ca). The desired pure product was obtained in 66% yield (121.6 mg) as a brown solid, mp 117–119 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.11 (s, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.38 – 7.27 (m, 6H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.00 (d, *J* = 8.1 Hz, 1H), 6.73 (dd, *J* = 21.1, 5.2 Hz, 2H), 6.66 (s, 1H), 5.36 (s, 1H), 4.63 (d, *J* = 14.7 Hz, 1H), 4.11 (d, *J* = 14.7 Hz, 1H), 2.29 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 164.9, 139.9, 136.2, 135.8, 135.2, 133.9, 128.8, 127.9, 127.8, 126.1, 123.0, 122.7, 120.4, 119.1, 116.2, 114.4, 111.3, 108.7, 55.6, 51.4, 21.4.

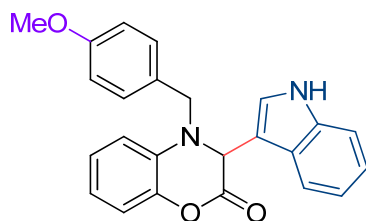


4-benzyl-6-chloro-3-(1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3da). The desired pure product was obtained in 52% yield (101.1 mg) as a yellow solid, mp 155–157 °C. ¹H NMR (600 MHz, CDCl₃) δ 8.13 (s, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.40 – 7.31 (m, 4H), 7.27 – 7.25 (m, 2H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.15 – 7.11 (m, 1H), 7.03 (d, *J* = 8.5 Hz, 1H), 6.86 (dd, *J* = 8.5, 2.3 Hz, 1H), 6.82 (d, *J*

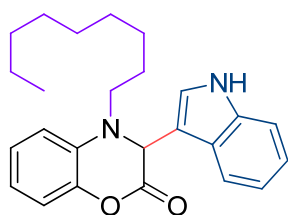
= 2.2 Hz, 1H), 6.79 (d, J = 2.5 Hz, 1H), 5.37 (s, 1H), 4.60 (d, J = 14.7 Hz, 1H), 4.14 (d, J = 14.7 Hz, 1H). ^{13}C NMR (151 MHz, CDCl_3) δ 163.6, 140.3, 135.9, 135.3, 135.2, 130.5, 129.0, 128.1, 127.9, 125.9, 123.0, 122.7, 120.6, 119.5, 119.1, 117.4, 113.6, 111.3, 108.6, 55.3, 51.4.



3-(1H-indol-3-yl)-4-(4-methylbenzyl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ea). The desired pure product was obtained in 54% yield (99.5 mg) as a yellow solid, mp 62–64 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.17 (s, 1H), 7.30 (d, J = 8.2 Hz, 1H), 7.22 – 7.10 (m, 7H), 7.09 – 7.05 (m, 1H), 6.93 – 6.89 (m, 1H), 6.84 (d, J = 8.0 Hz, 1H), 6.70 (d, J = 2.4 Hz, 1H), 5.40 (s, 1H), 4.58 (d, J = 14.7 Hz, 1H), 4.10 (d, J = 14.6 Hz, 1H), 2.37 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.7, 141.9, 137.5, 135.9, 134.3, 133.0, 129.5, 127.8, 126.1, 125.4, 123.0, 122.8, 120.4, 119.8, 119.1, 116.5, 113.9, 111.4, 108.7, 55.7, 51.3, 21.2.

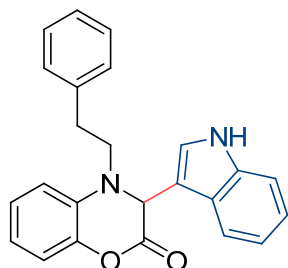


3-(1H-indol-3-yl)-4-(4-methoxybenzyl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3fa). The desired pure product was obtained in 51% yield (98.0 mg) as a white solid, mp 53–55 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.15 (s, 1H), 7.50 (d, J = 8.0 Hz, 1H), 7.30 (d, J = 8.1 Hz, 1H), 7.22 – 7.17 (m, 3H), 7.14 – 7.06 (m, 3H), 6.93 – 6.89 (m, 1H), 6.89 – 6.84 (m, 3H), 6.69 (d, J = 2.5 Hz, 1H), 5.38 (s, 1H), 4.56 (d, J = 14.4 Hz, 1H), 4.06 (d, J = 14.4 Hz, 1H), 3.82 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.7, 159.2, 141.9, 135.8, 134.3, 129.2, 127.9, 126.1, 125.4, 122.9, 122.8, 120.4, 119.8, 119.2, 116.5, 114.2, 113.9, 111.3, 108.7, 55.4, 55.3, 50.9.

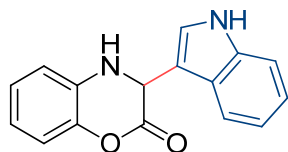


3-(1H-indol-3-yl)-4-nonyl-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ga). The desired pure product was obtained in 45% yield (87.9 mg) as a yellow oil. ^1H NMR (600 MHz, CDCl_3) δ 8.04 (s, 1H), 7.73 (d, J = 7.9 Hz, 1H), 7.32 (d, J = 8.1 Hz, 1H), 7.21 (t, J = 7.5 Hz, 1H), 7.16 (t, J = 7.5 Hz, 1H), 7.13 –

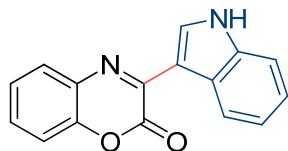
7.09 (m, 1H), 7.07 – 7.02 (m, 1H), 6.88 – 6.82 (m, 1H), 6.73 (s, 1H), 5.45 (s, 1H), 3.44 – 3.38 (m, 1H), 3.09 – 3.03 (m, 1H), 1.69 – 1.62 (m, 2H), 1.32 – 1.22 (m, 12H), 0.88 (t, $J = 7.0$ Hz, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.3, 141.6, 136.0, 134.1, 126.0, 125.3, 122.8, 120.5, 119.3, 119.0, 116.6, 113.0, 111.3, 109.6, 56.7, 48.3, 31.9, 29.5, 29.4, 29.2, 27.0, 22.7, 14.1.



3-(1H-indol-3-yl)-4-phenethyl-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (3ha). The desired pure product was obtained in 53% yield (97.6 mg) as a white solid, mp 181–183 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.06 (s, 1H), 7.68 (d, $J = 7.8$ Hz, 1H), 7.35 – 7.03 (m, 9H), 6.95 – 6.83 (m, 2H), 6.75 (d, $J = 2.4$ Hz, 1H), 5.34 (s, 1H), 3.74 – 3.60 (m, 1H), 3.37 – 3.25 (m, 1H), 3.02 – 2.83 (m, 2H). ^{13}C NMR (151 MHz, CDCl_3) δ 164.1, 141.5, 138.7, 136.1, 133.4, 128.6, 128.6, 126.6, 125.8, 125.4, 123.0, 122.8, 119.2, 119.2, 116.8, 112.8, 111.3, 110.0, 109.7, 57.2, 50.0, 33.4.

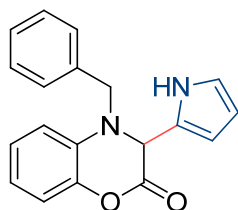


3-(1H-indol-3-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (4aa). The desired pure product was obtained in 59 % yield (510.4 mg) as a yellow solid, mp 140–142 °C. ^1H NMR (600 MHz, CDCl_3) δ 8.18 (s, 1H), 7.71 (d, $J = 8.0$ Hz, 1H), 7.35 (d, $J = 8.2$ Hz, 1H), 7.23 (dd, $J = 11.2, 4.0$ Hz, 1H), 7.16 (dd, $J = 11.2, 3.9$ Hz, 1H), 7.08 (d, $J = 8.1$ Hz, 2H), 7.02 (td, $J = 7.7, 1.1$ Hz, 1H), 6.92 – 6.86 (m, 1H), 6.78 (dd, $J = 7.8, 1.1$ Hz, 1H), 5.35 (s, 1H), 4.22 (s, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.3, 141.2, 136.2, 132.9, 125.4, 125.0, 123.4, 122.8, 120.3, 120.3, 119.2, 116.8, 115.0, 111.5, 111.2, 52.7. HRMS (ESI) exact mass calcd for $\text{C}_{16}\text{H}_{12}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]$ m/z 265.0972 found 265.0969.

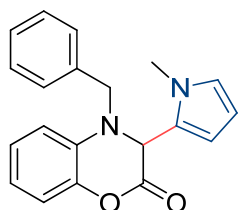


3-(1H-indol-3-yl)-2H-benzo[b][1,4]oxazin-2-one. (Cephalandole A) The desired pure product was obtained in 75% yield (99.8 mg) as a yellow solid, mp 260–261 °C. ^1H NMR (600 MHz, Acetone-d_6) δ 11.08 (s, 1H), 8.91 – 8.87 (m, 1H), 8.82 (d, $J = 2.4$ Hz, 1H), 7.89 (dd, $J = 7.8$ Hz, 1H), 7.60 – 7.55 (m, 1H), 7.52 – 7.46 (m, 1H), 7.45 – 7.41 (m, 1H), 7.35 (d, $J = 8.0$ Hz, 1H), 7.31 – 7.26 (m, 2H). ^{13}C NMR (151 MHz, Acetone-d_6) δ 153.0, 146.3, 137.9, 134.6, 133.2, 129.6, 128.9, 127.4, 126.1, 124.2, 124.1, 122.5, 116.8, 112., 112.4. ^{13}C NMR (151 MHz, acetone) δ 153.0, 149.1, 146.3, 137.9, 134.6, 133.2,

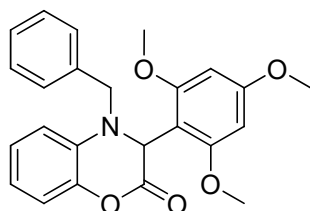
129.6, 128.8, 127.4, 126.1, 124.2, 124.1, 122.5, 116.8, 112.8, 112.4.



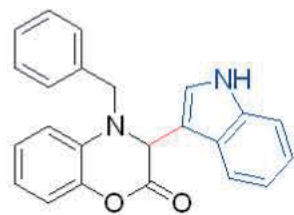
4-benzyl-3-(1H-pyrrol-2-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (6aa). The desired pure product was obtained in 48 % yield (73.0 mg) as a yellow solid, mp 125–127 °C. ¹H NMR (600 MHz, CDCl₃) δ 7.97 (s, 1H), 7.39 – 7.27 (m, 5H), 7.13 – 7.08 (m, 2H), 6.94 – 6.87 (m, 2H), 6.69 – 6.65 (m, 1H), 6.05 (dd, *J* = 6.0, 2.7 Hz, 1H), 5.87 (s, 1H), 5.03 (s, 1H), 4.64 (d, *J* = 14.3 Hz, 1H), 4.08 (d, *J* = 14.3 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 164.2, 141.4, 135.6, 133.7, 129.0, 128.1, 128.0, 125.7, 123.1, 120.3, 119.1, 116.8, 113.9, 108.9, 108.8, 56.9, 51.6.



4-benzyl-3-(1-methyl-1H-pyrrol-2-yl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (6ab). The desired pure product was obtained in 51 % yield (81.2 mg) as a yellow oil. ¹H NMR (600 MHz, CDCl₃) δ 7.41 – 7.28 (m, 5H), 7.09 (dd, *J* = 7.9, 1.3 Hz, 1H), 7.03 (td, *J* = 7.9, 1.4 Hz, 1H), 6.88 (td, *J* = 7.8, 1.2 Hz, 1H), 6.77 (d, *J* = 8.0 Hz, 1H), 6.42 (t, *J* = 2.3 Hz, 1H), 6.31 (s, 1H), 5.78 – 5.73 (m, 1H), 4.93 (s, 1H), 4.56 (d, *J* = 14.5 Hz, 1H), 4.08 (d, *J* = 14.5 Hz, 1H), 3.52 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 165.8, 142.2, 136.5, 134.4, 129.0, 128.2, 127.9, 125.4, 122.6, 120.8, 119.9, 116.6, 115.9, 114.1, 108.1, 57.2, 51.4, 36.6.



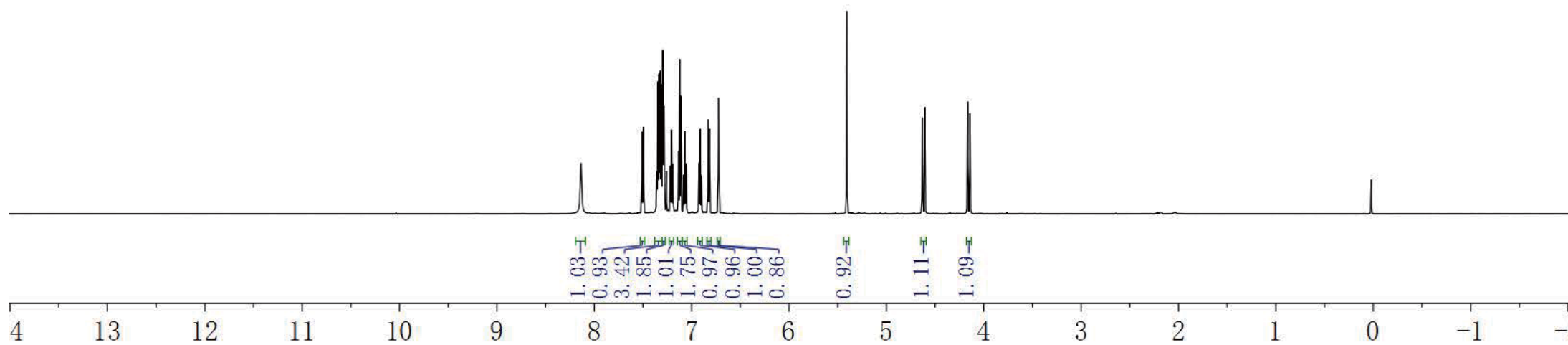
4-benzyl-3-(2,4,6-trimethoxyphenyl)-3,4-dihydro-2H-benzo[b][1,4]oxazin-2-one (8aa). The desired pure product was obtained in 68% yield (137.8 mg) as a white solid, mp 137–139 °C. ¹H NMR (600 MHz, CDCl₃) δ 7.26 – 7.14 (m, 5H), 7.03 (dd, *J* = 7.9, 1.2 Hz, 1H), 6.90 – 6.85 (m, 1H), 6.72 – 6.67 (m, 1H), 6.49 (d, *J* = 8.1 Hz, 1H), 6.03 (s, 2H), 5.86 (s, 1H), 4.36 (d, *J* = 16.6 Hz, 1H), 4.22 (d, *J* = 16.6 Hz, 1H), 3.77 (s, 3H), 3.58 (s, 6H). ¹³C NMR (151 MHz, CDCl₃) δ 167.5, 161.8, 159.3, 141.0, 137.9, 133.9, 128.4, 126.8, 126.6, 124.6, 117.4, 115.7, 111.8, 106.7, 90.7, 55.5, 55.4, 53.9, 50.7.

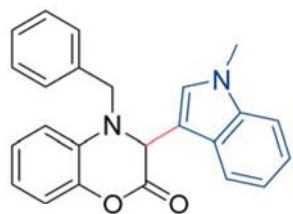


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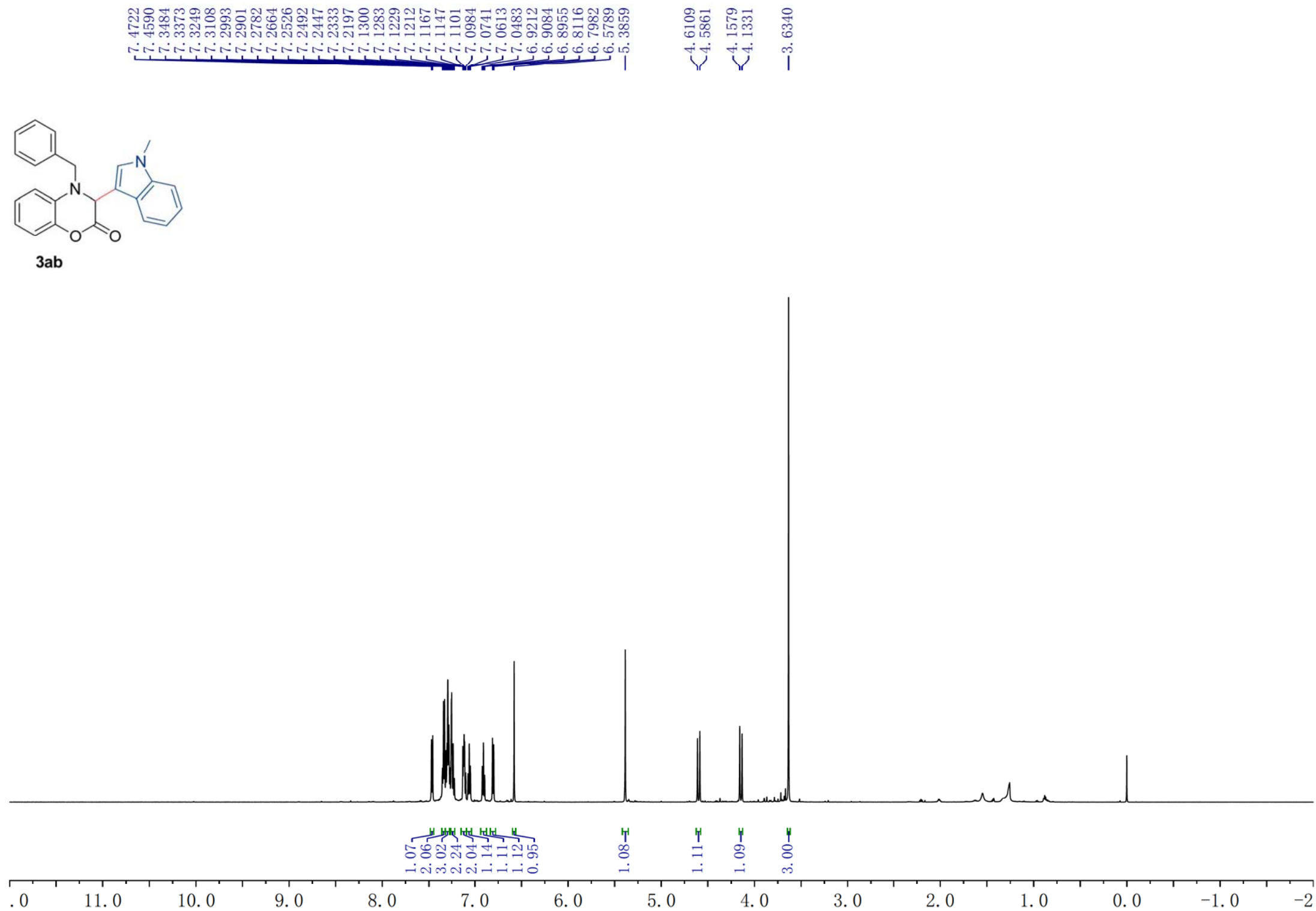
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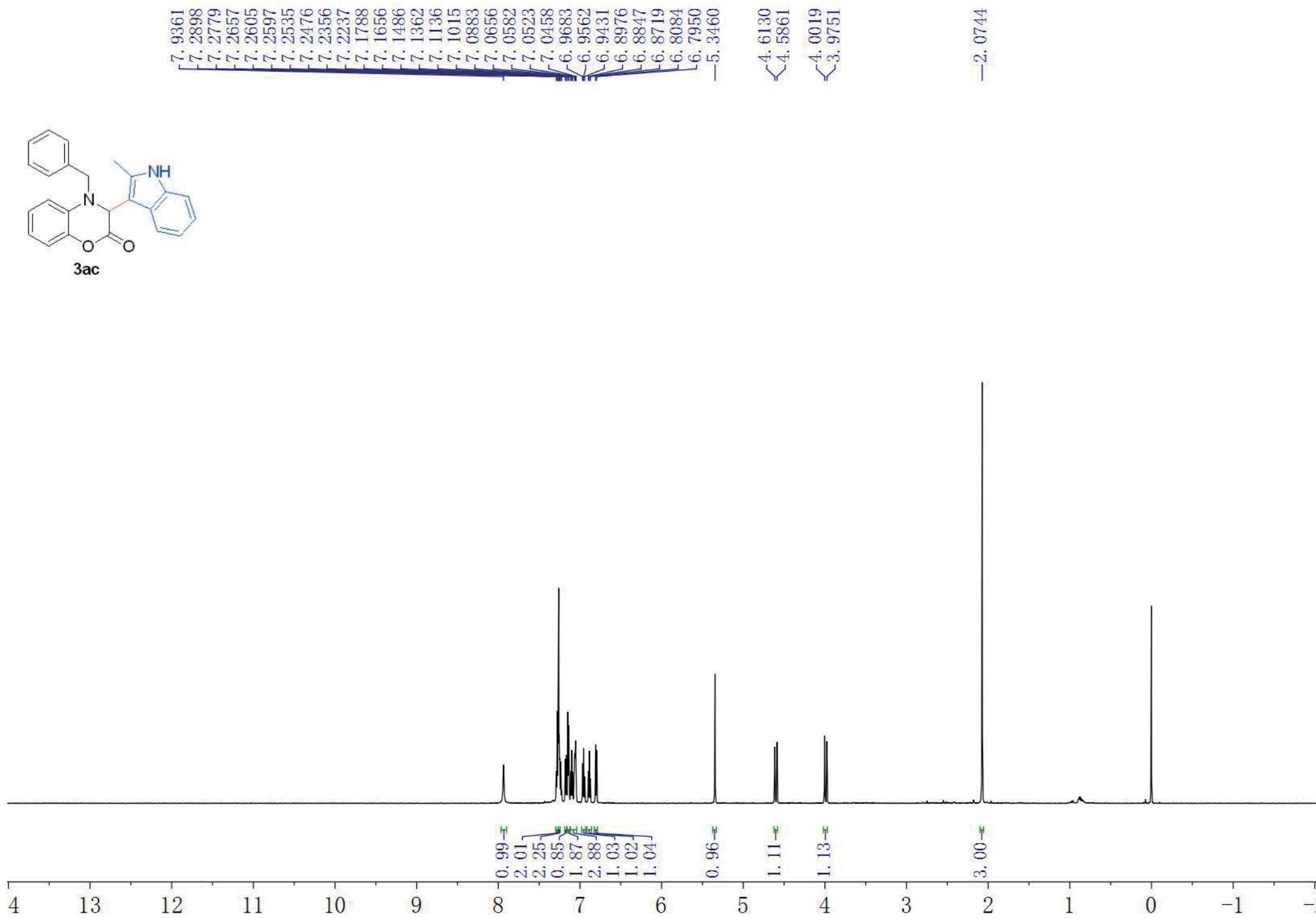
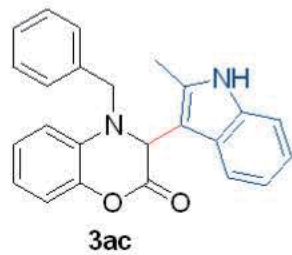
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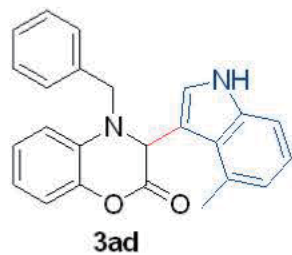




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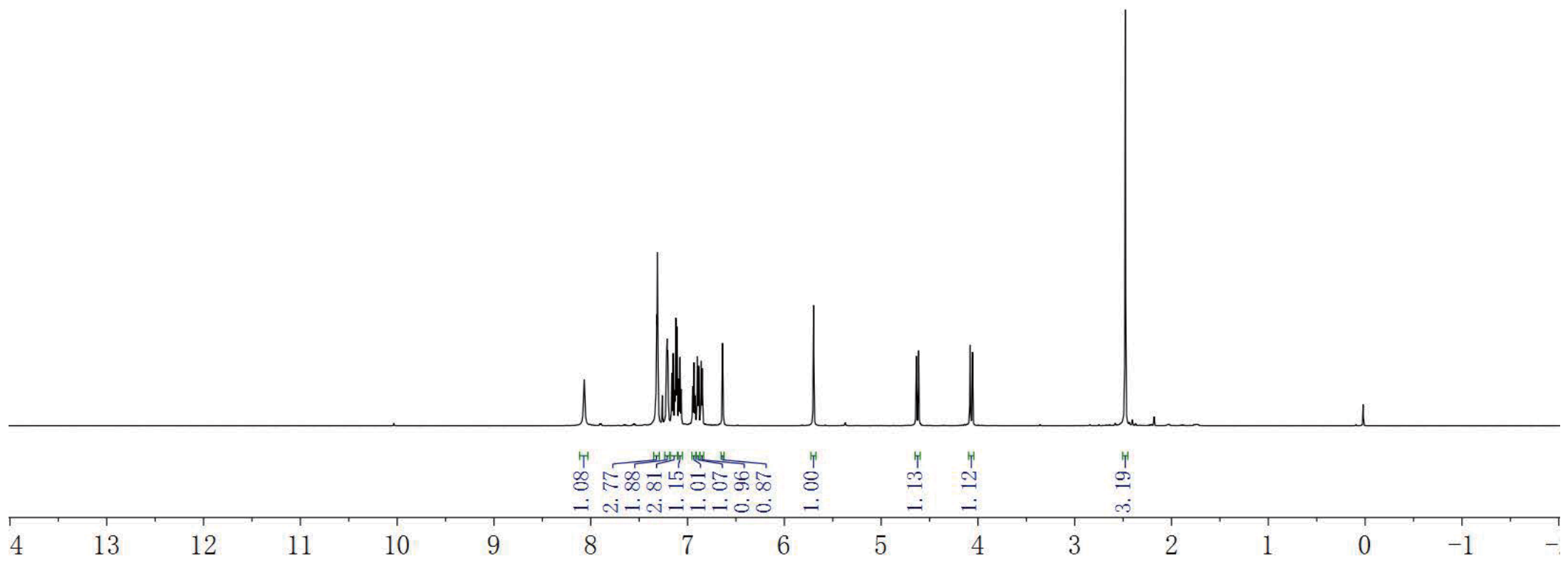


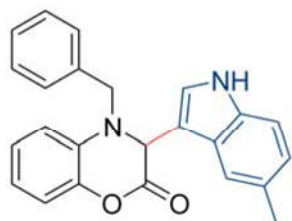




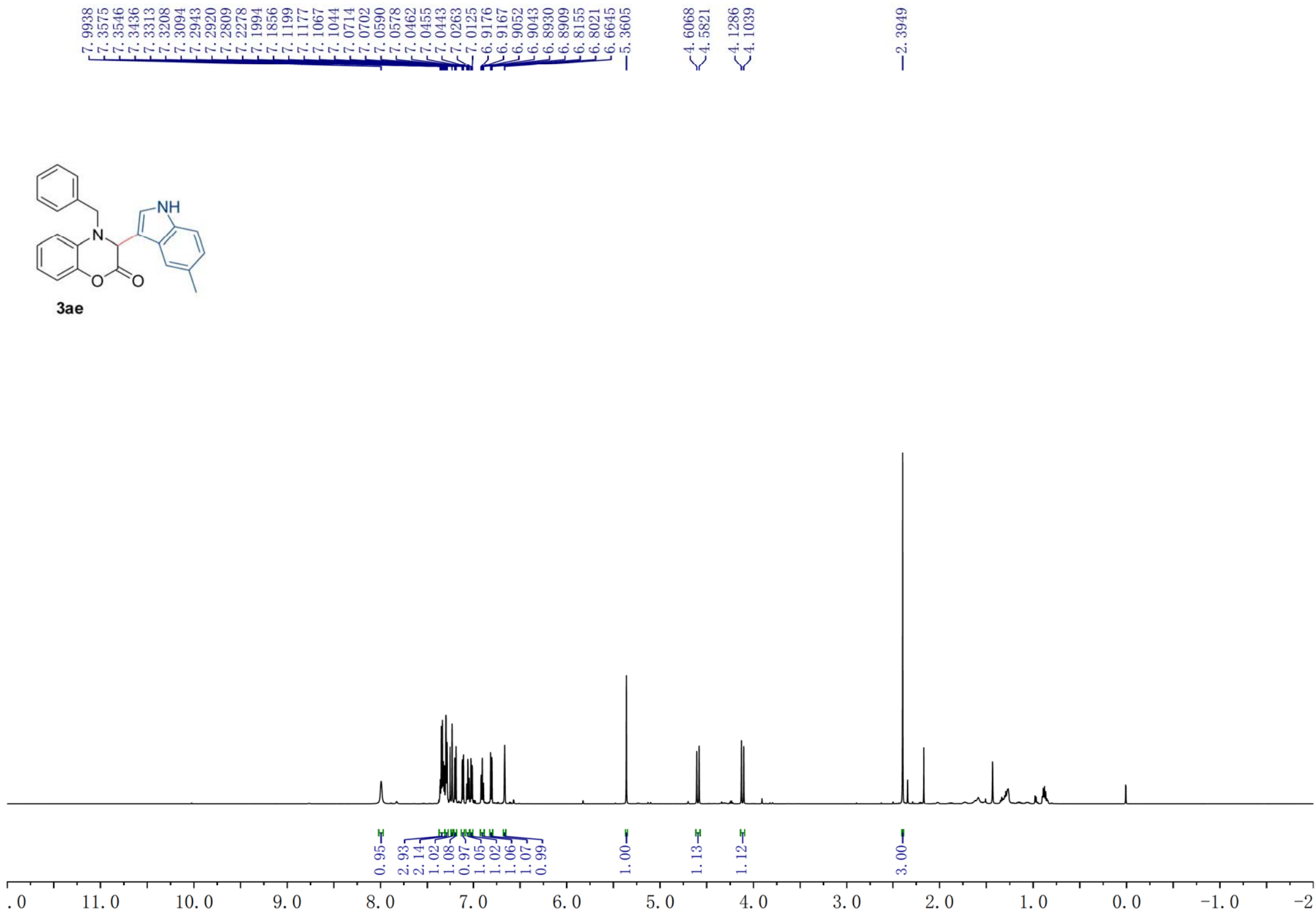
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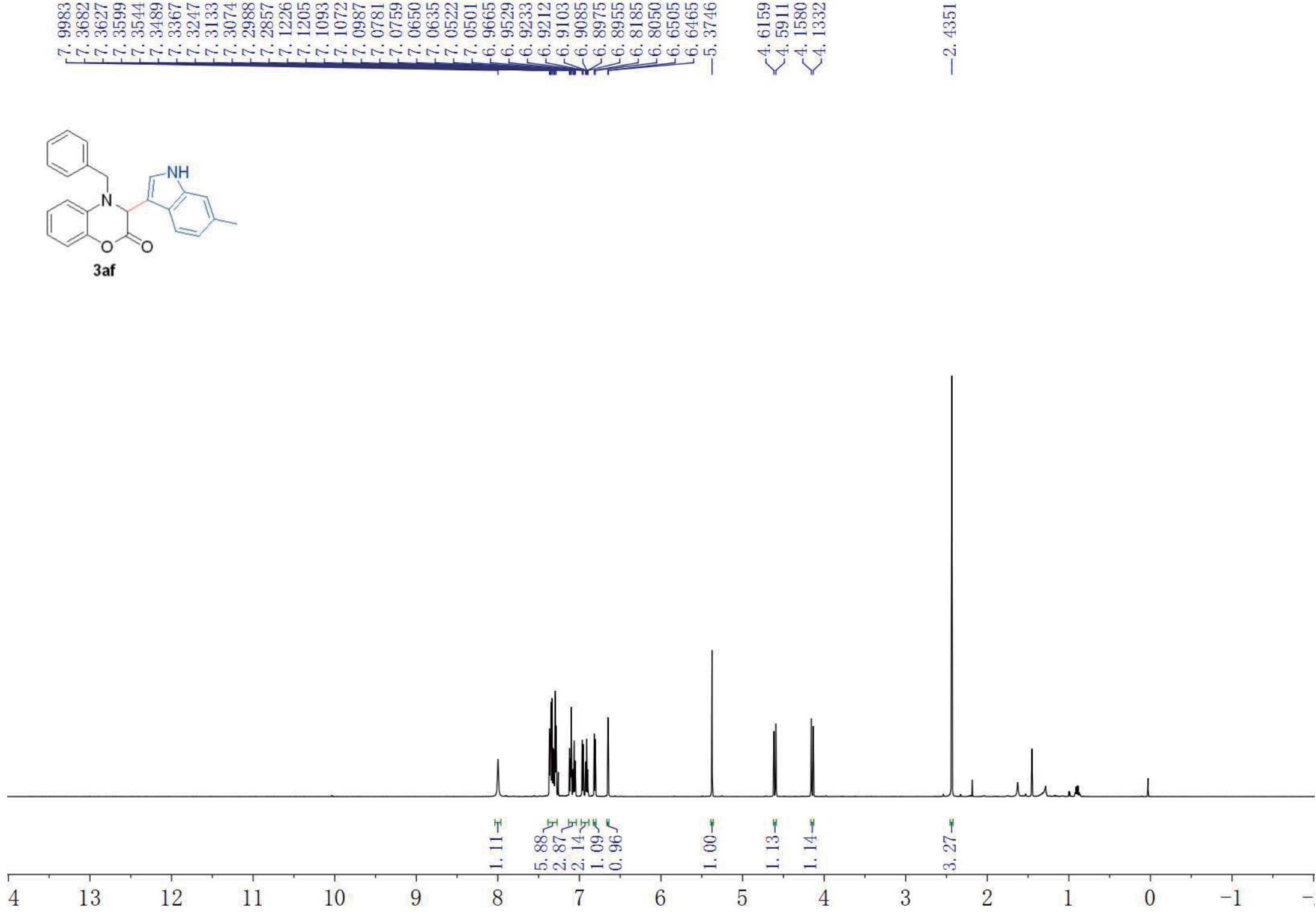
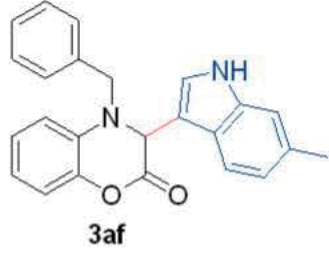
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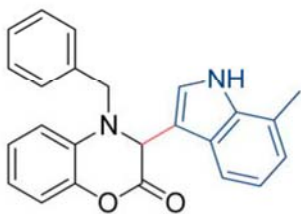




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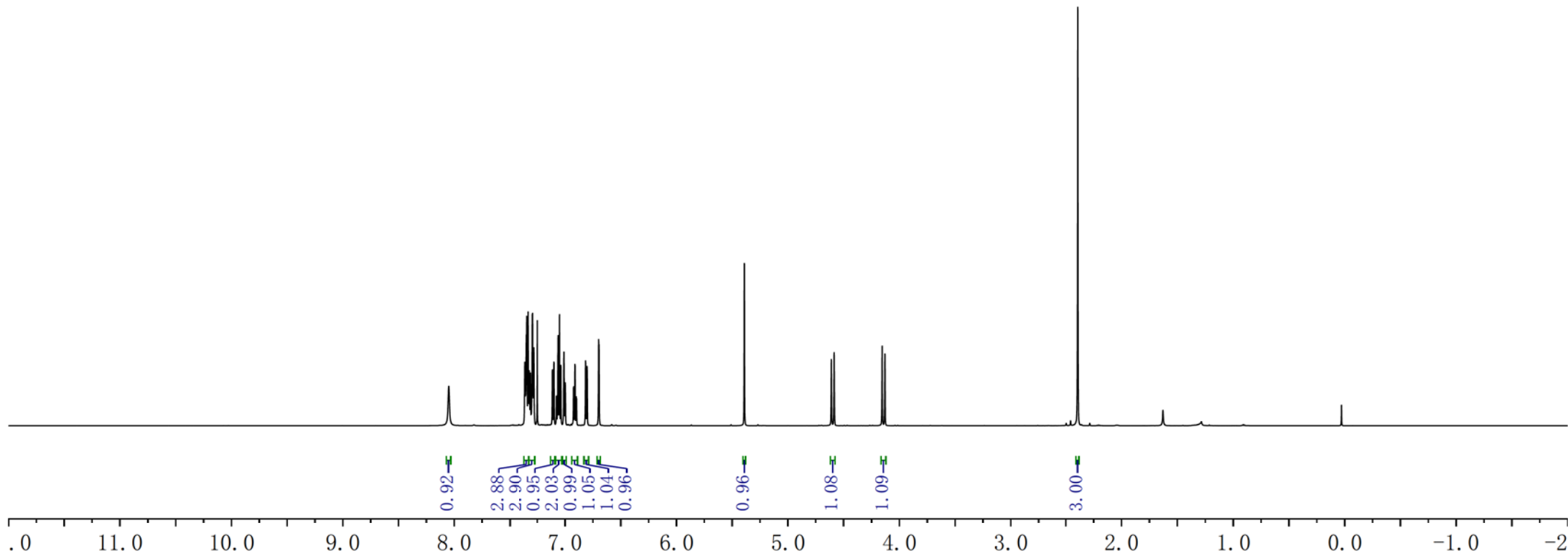


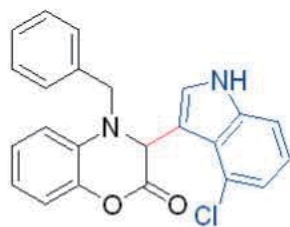
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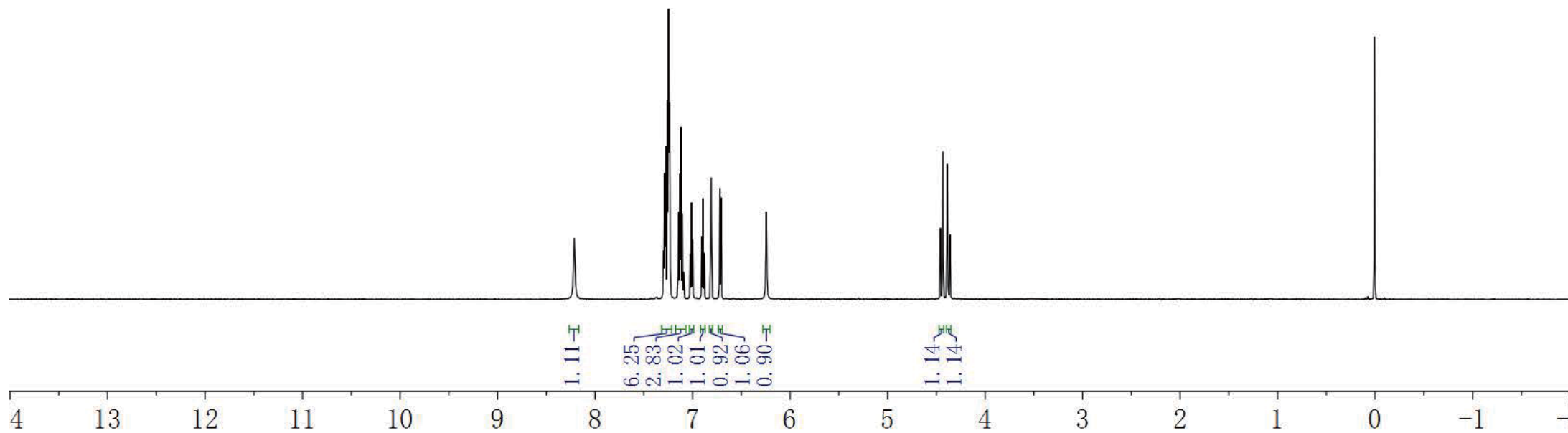




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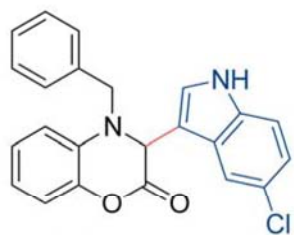
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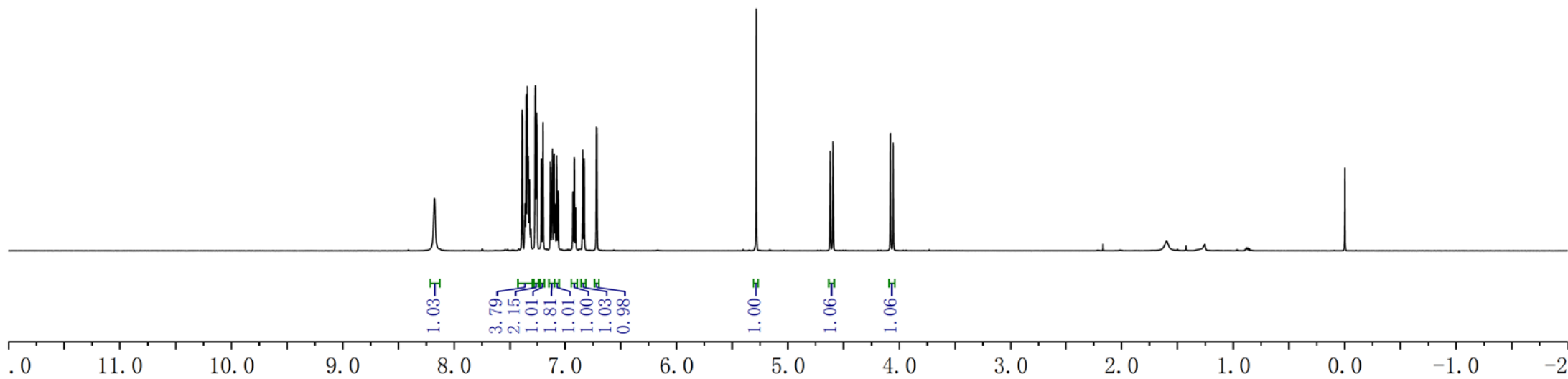
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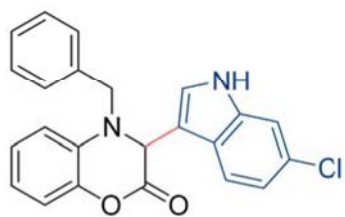
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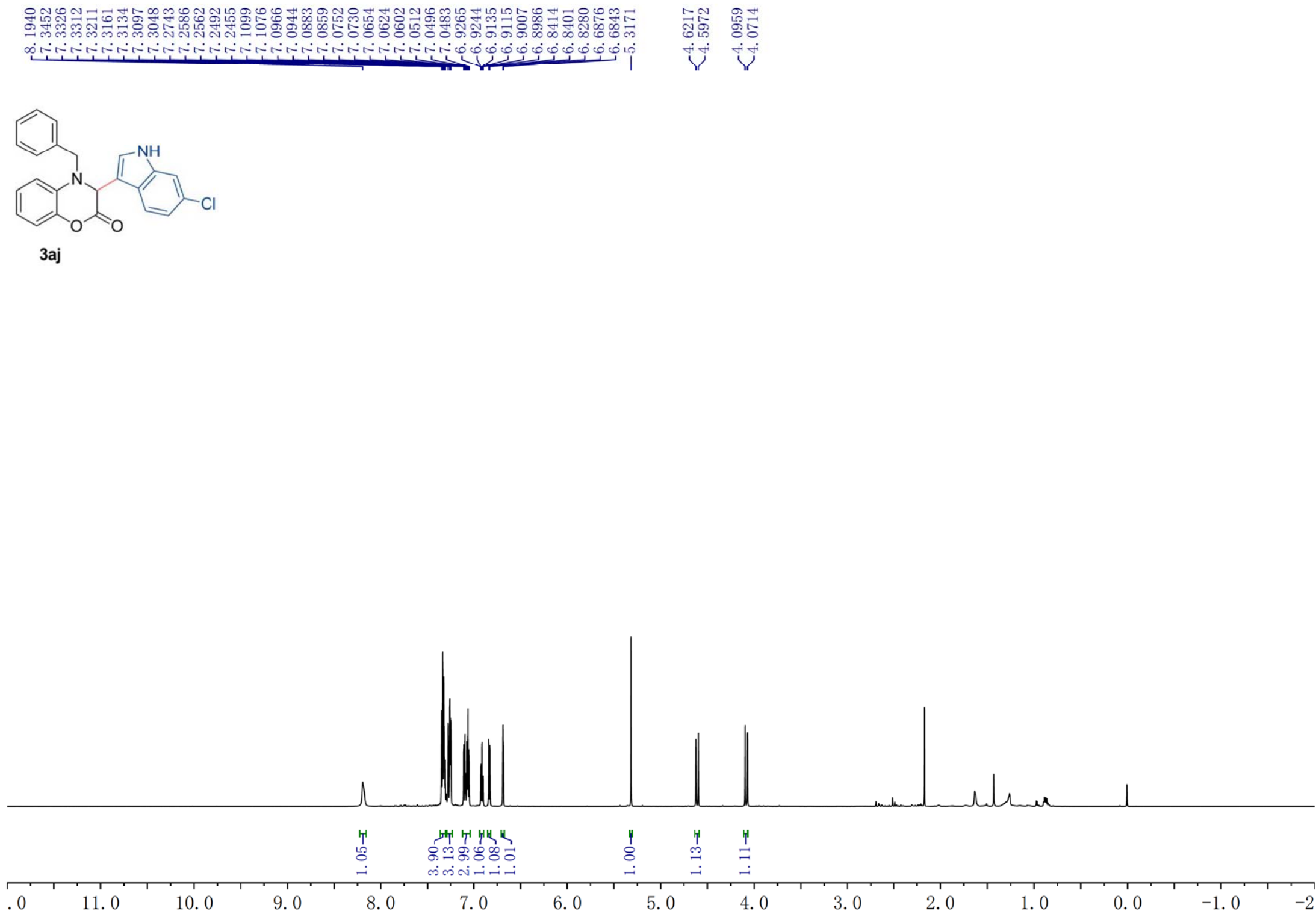


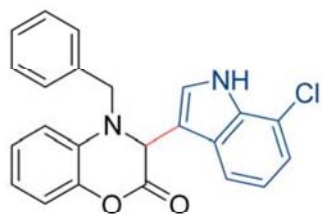
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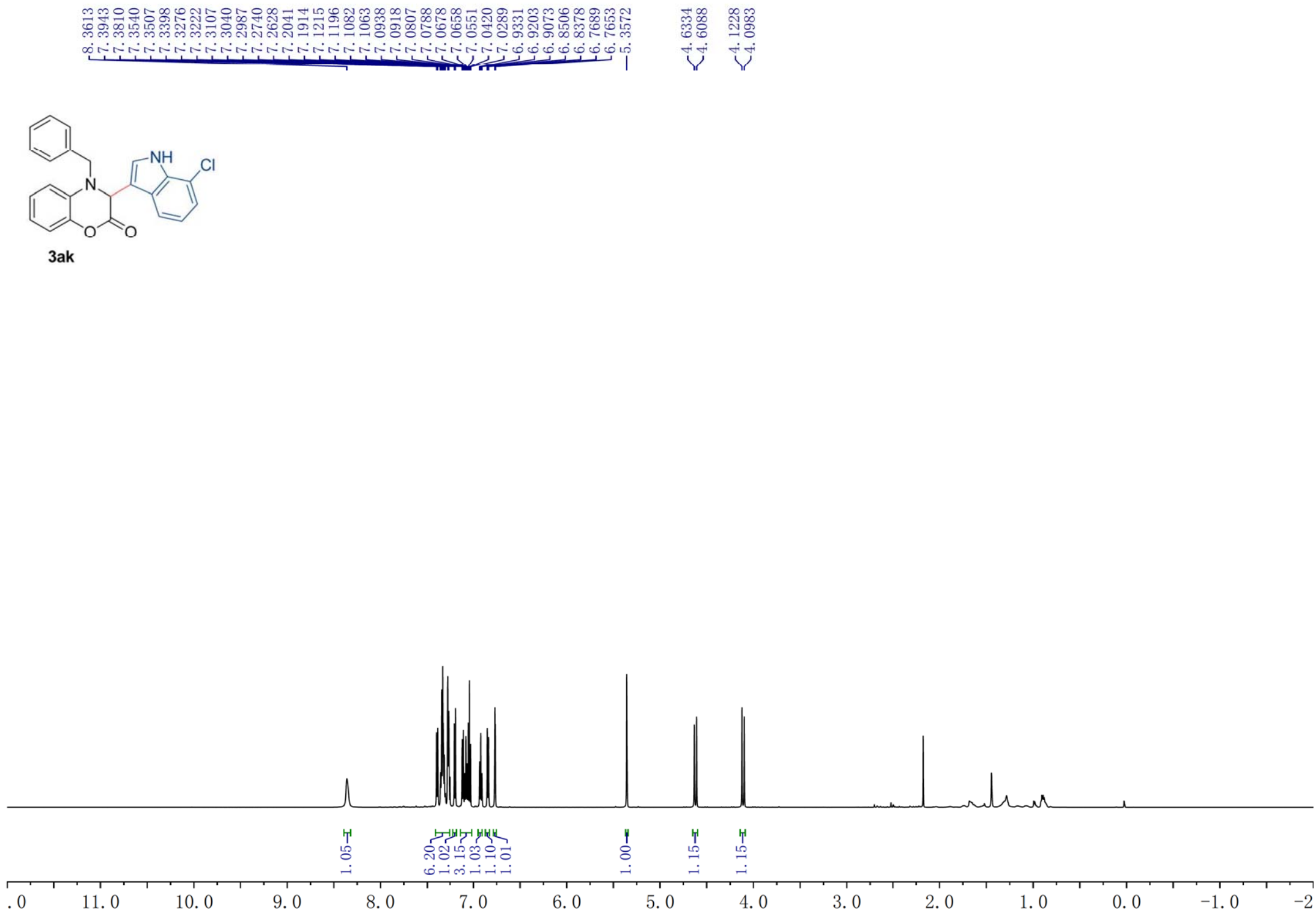


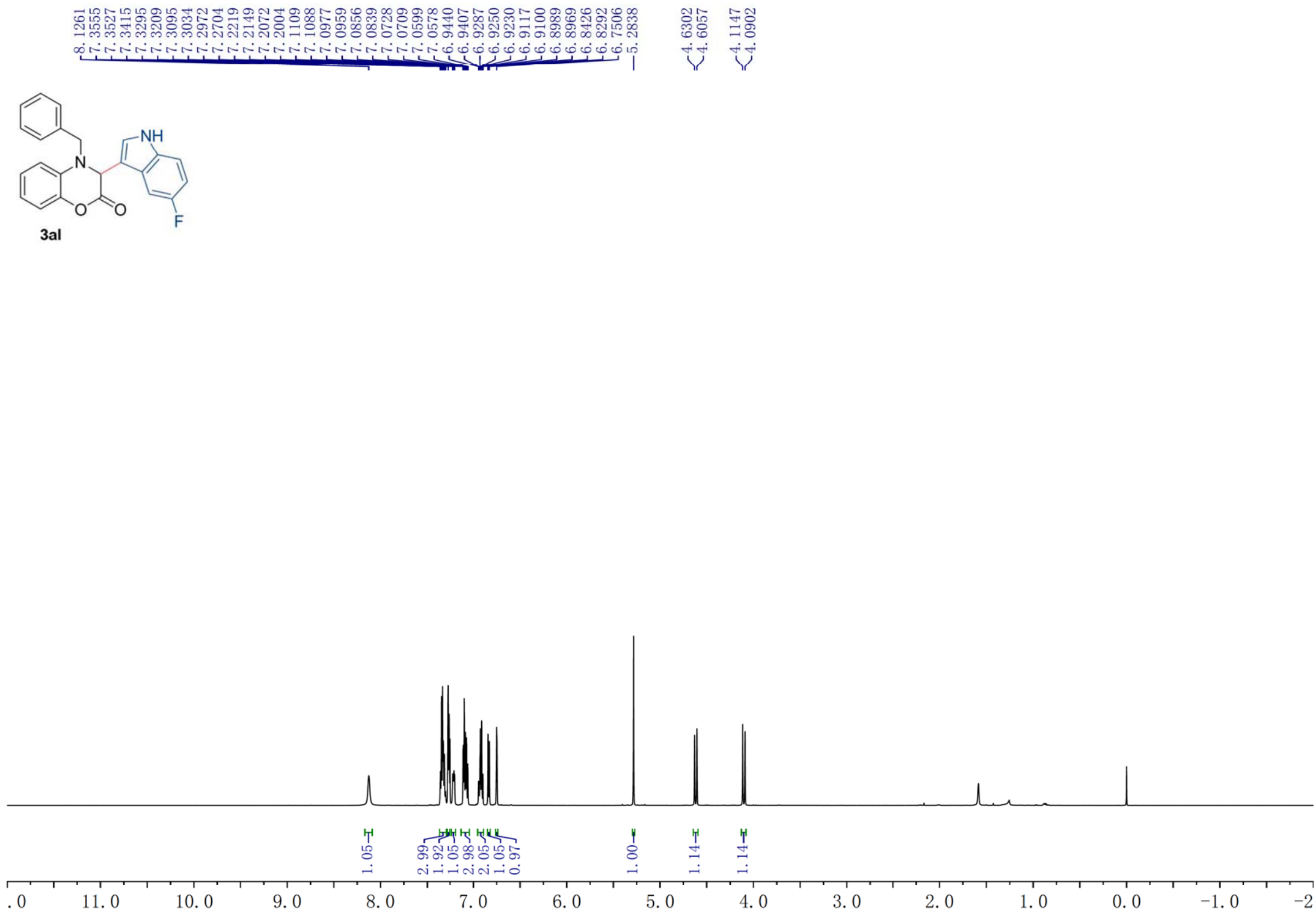
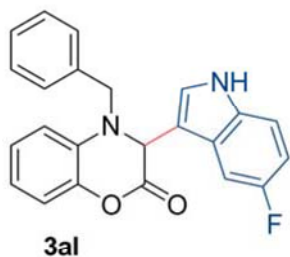
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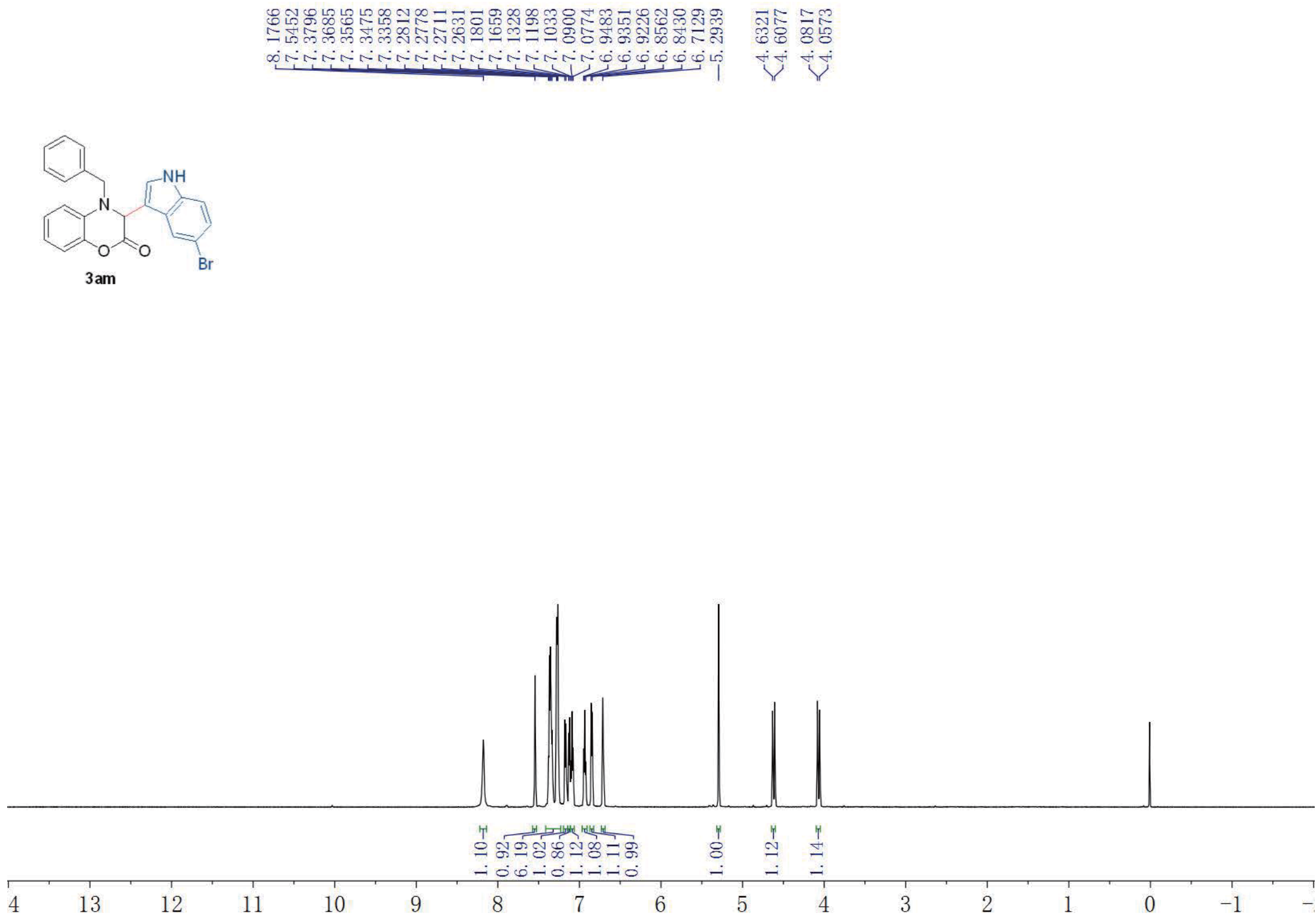
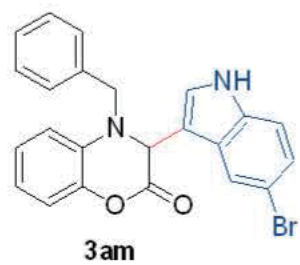


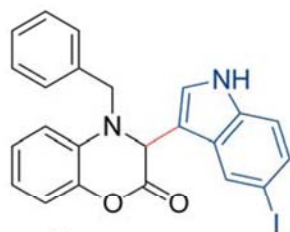


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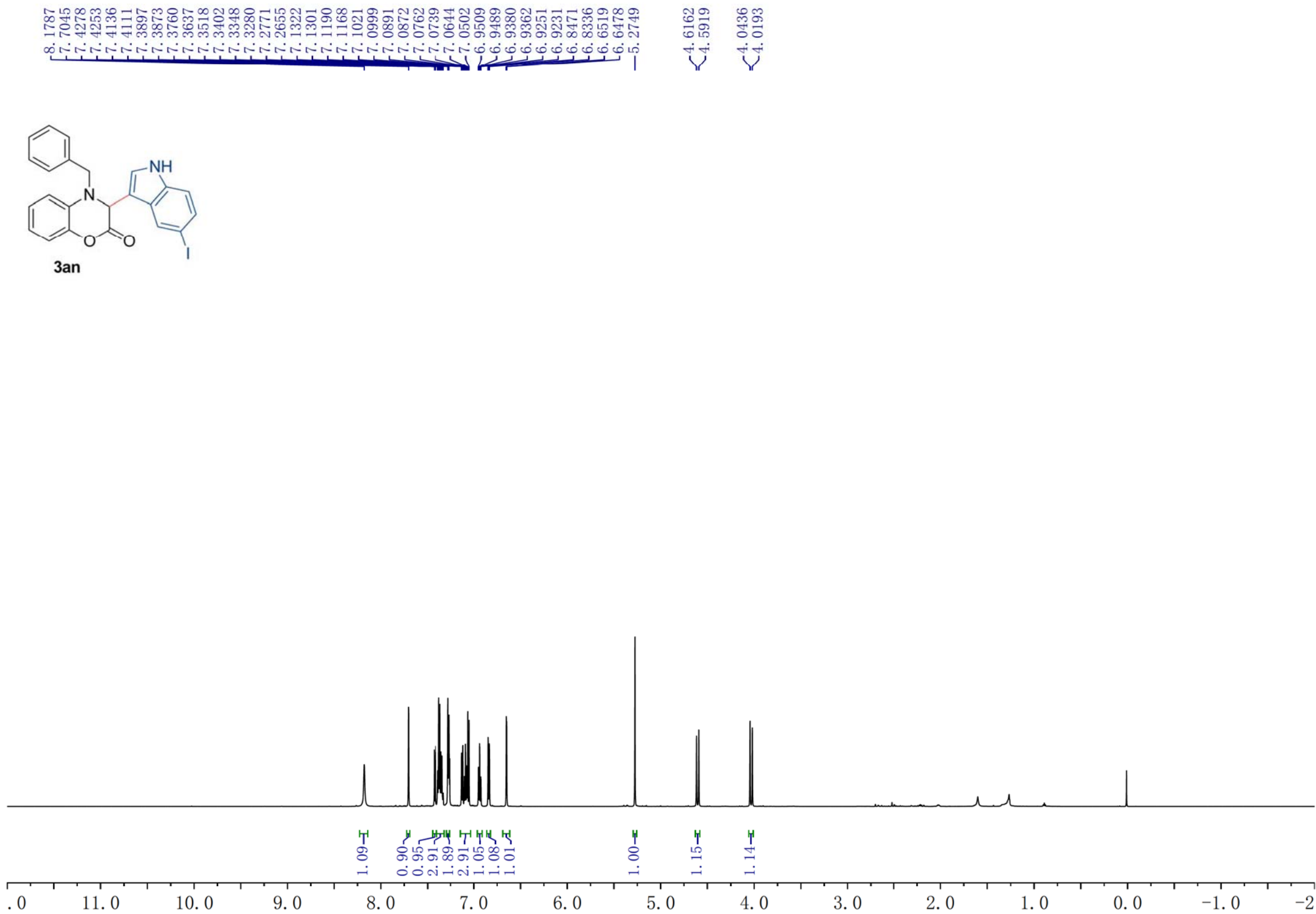


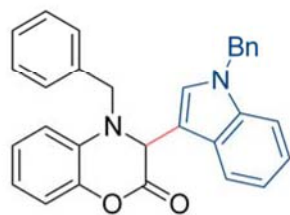




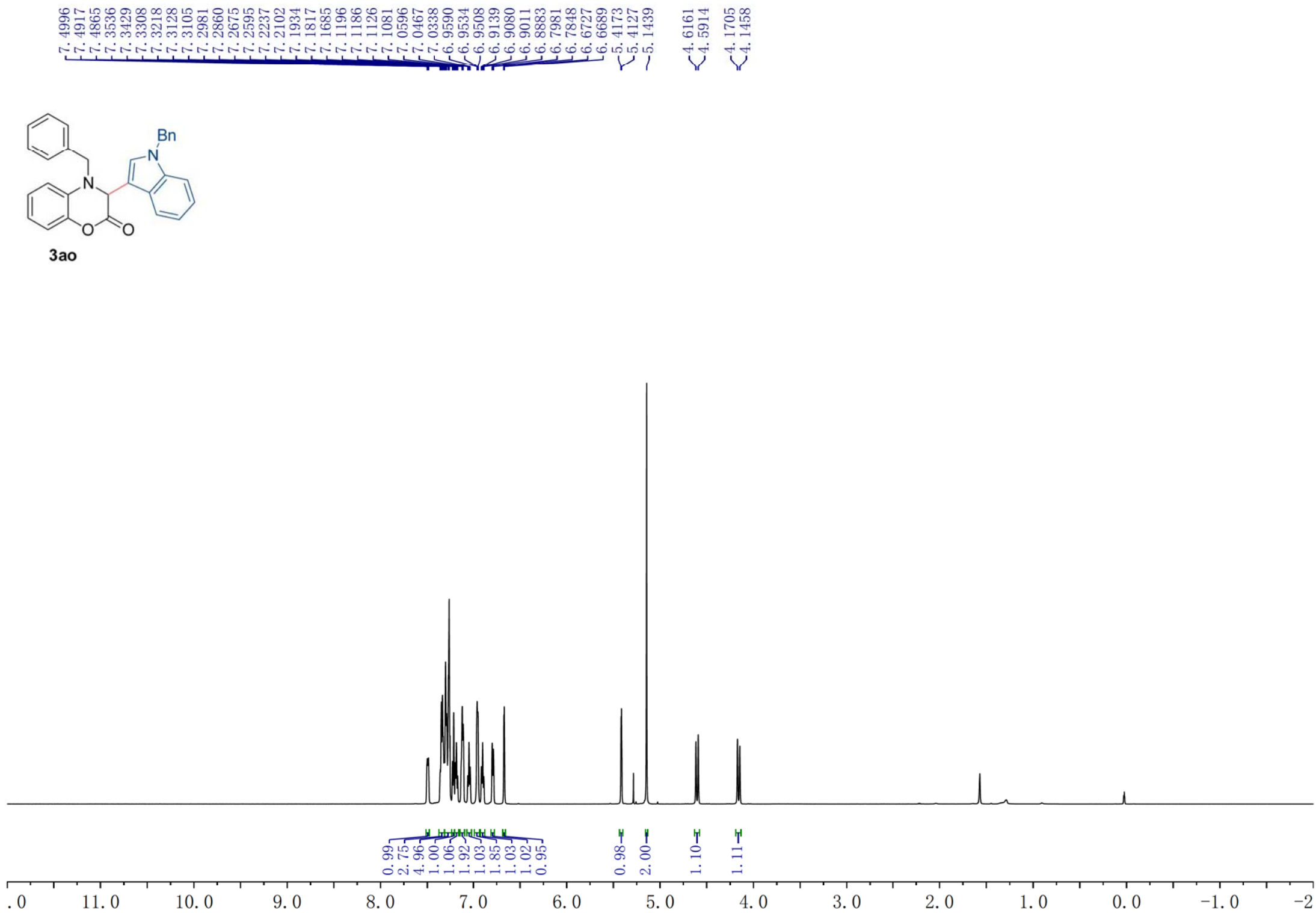


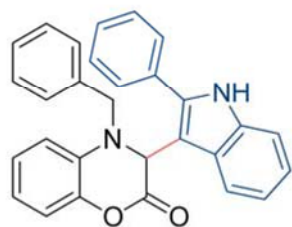
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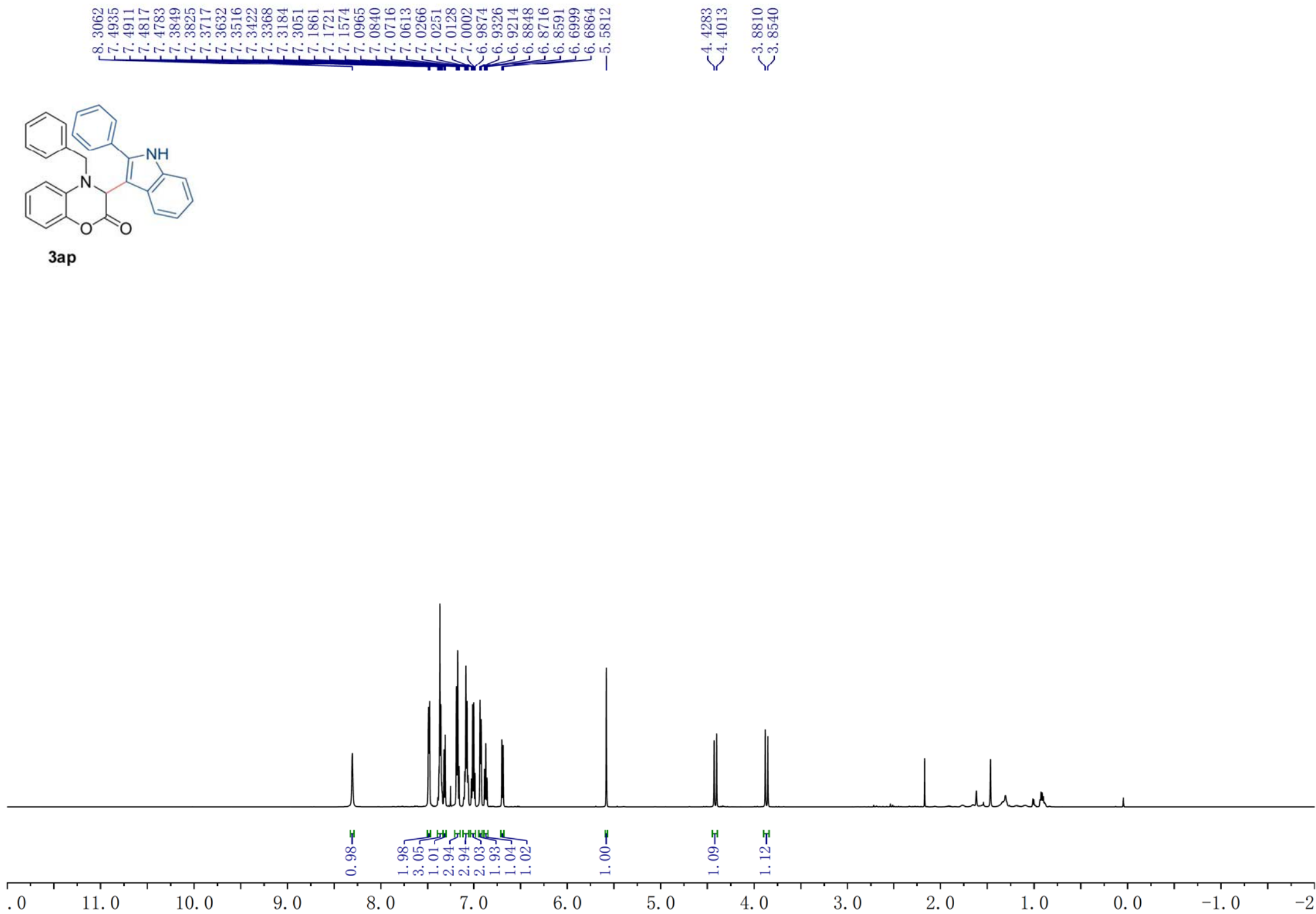


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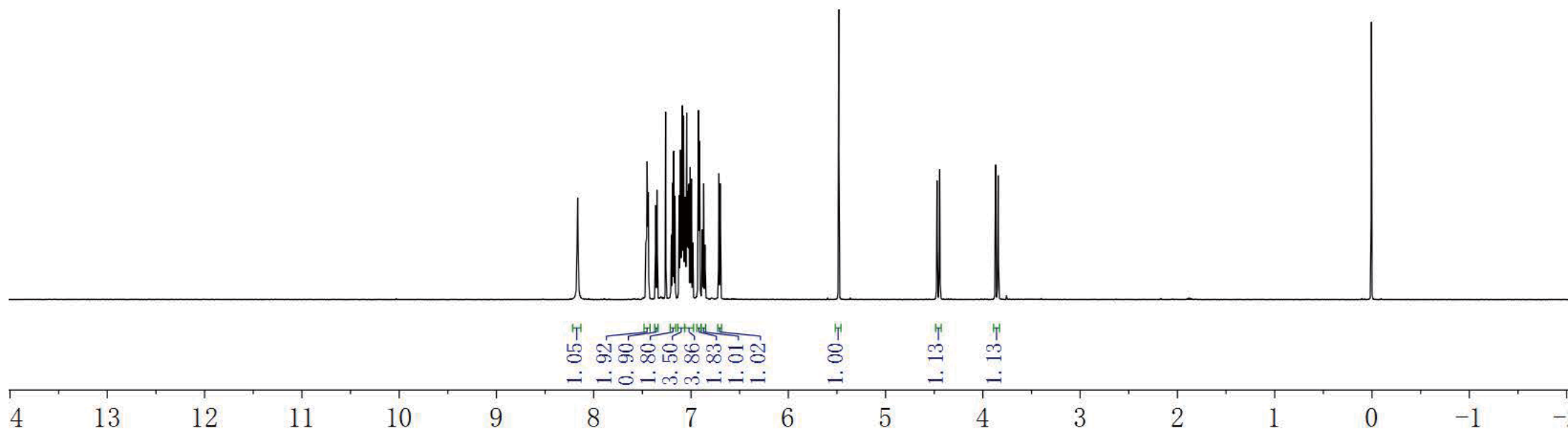




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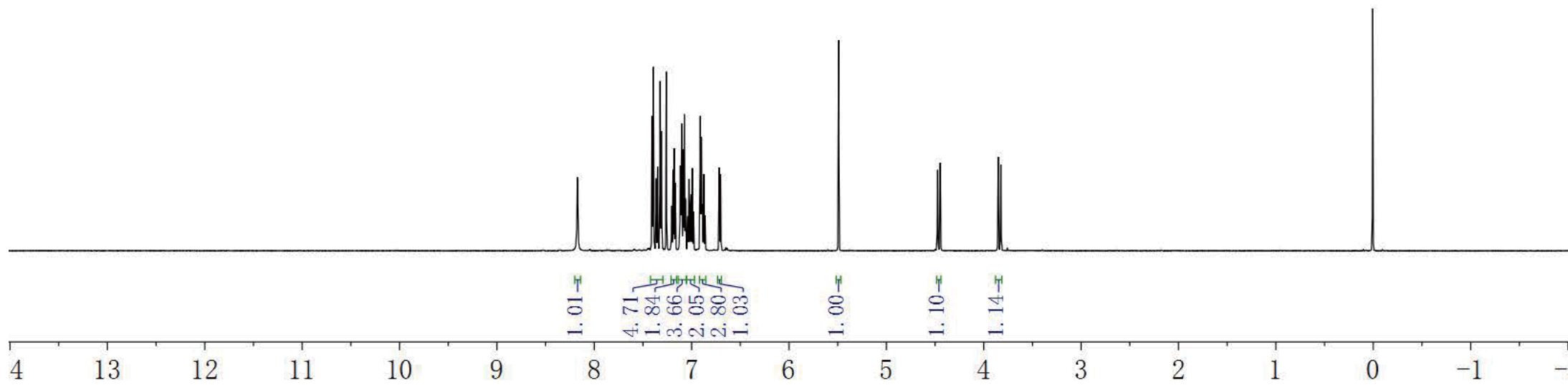
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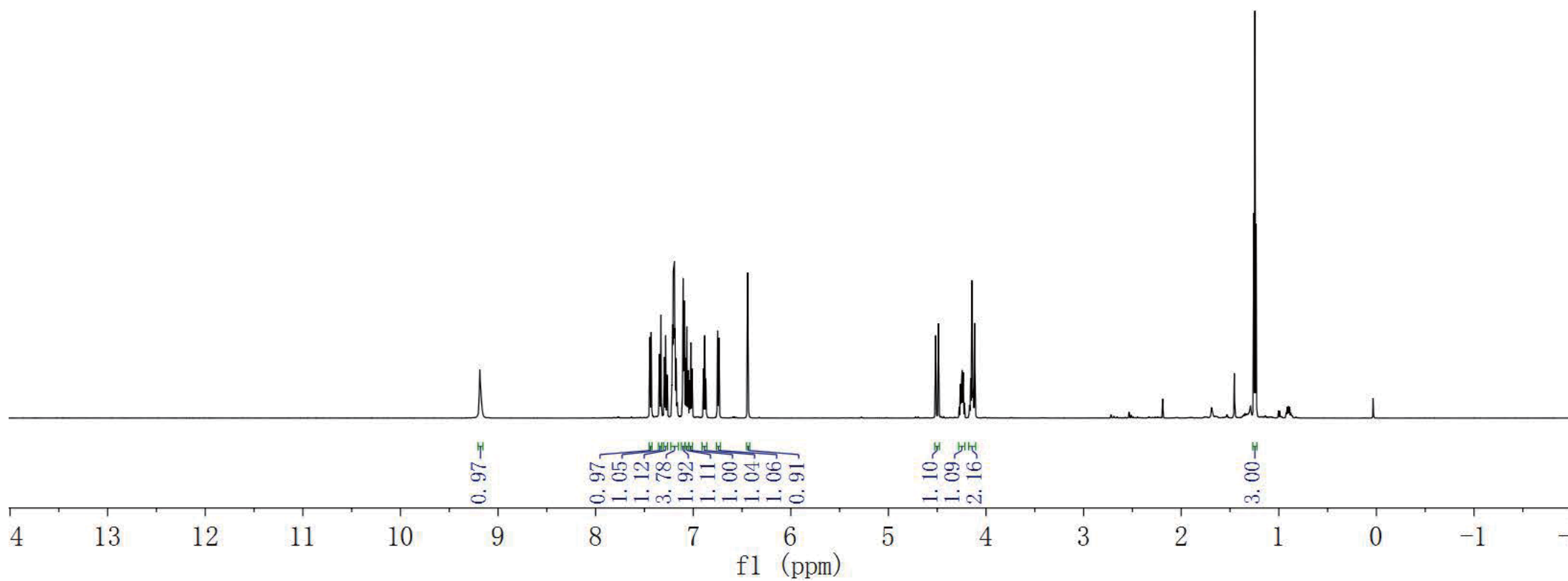
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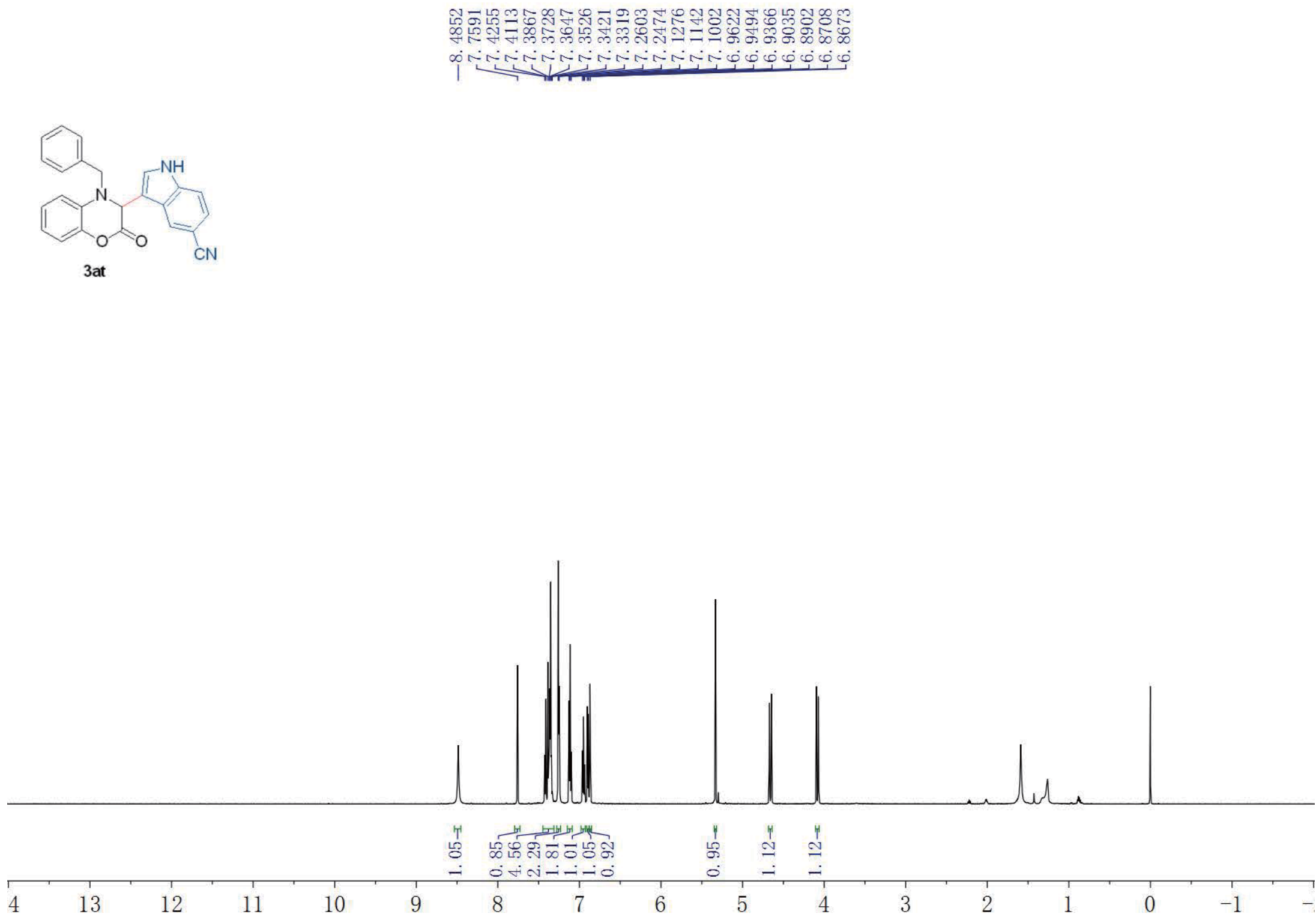
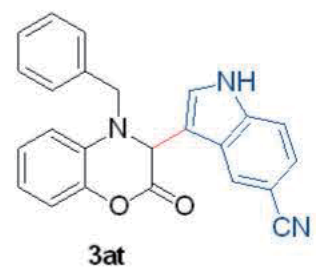




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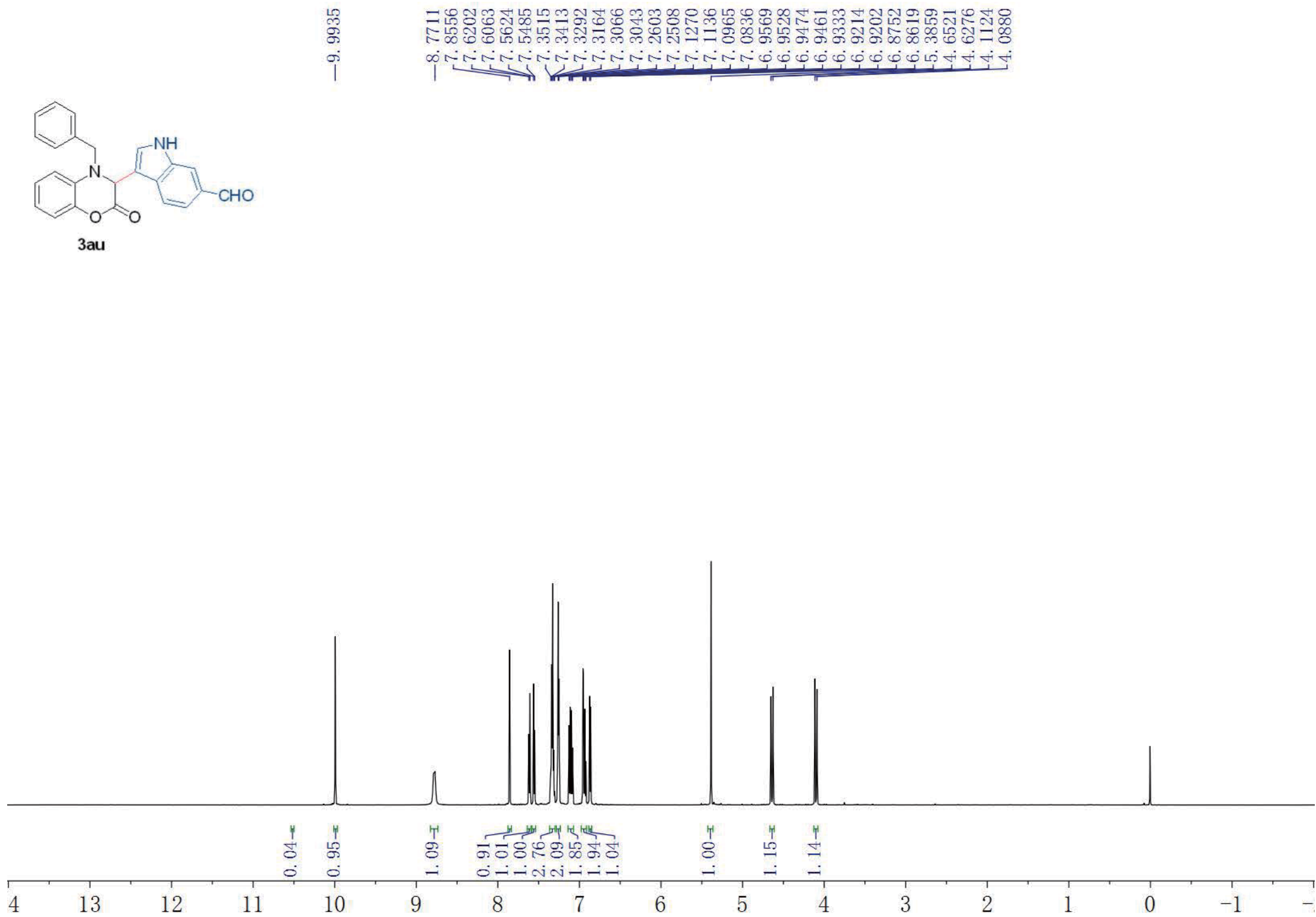
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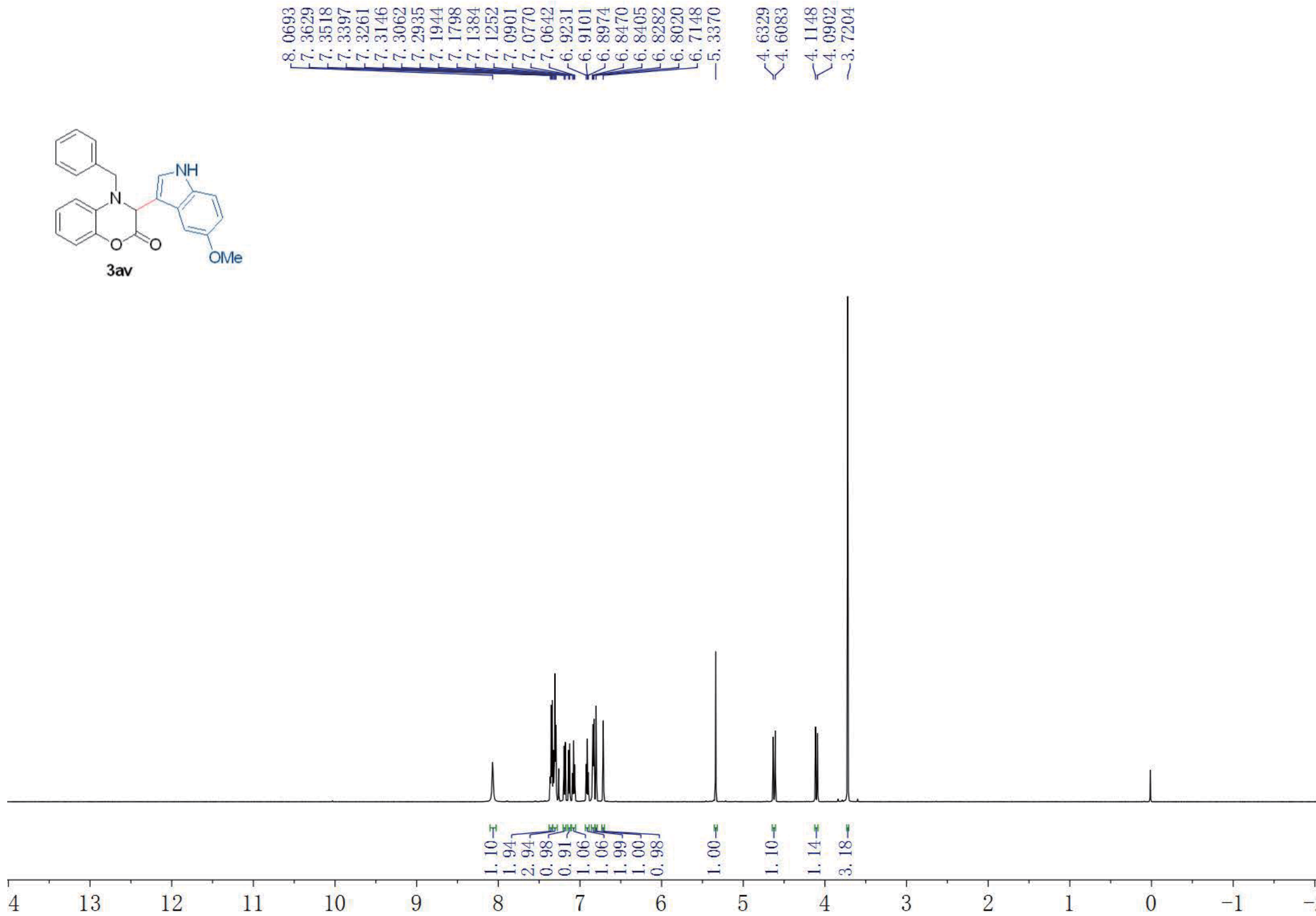
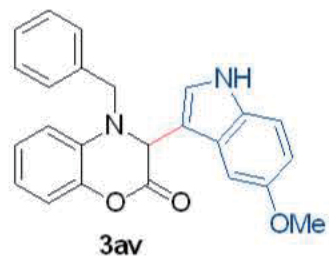


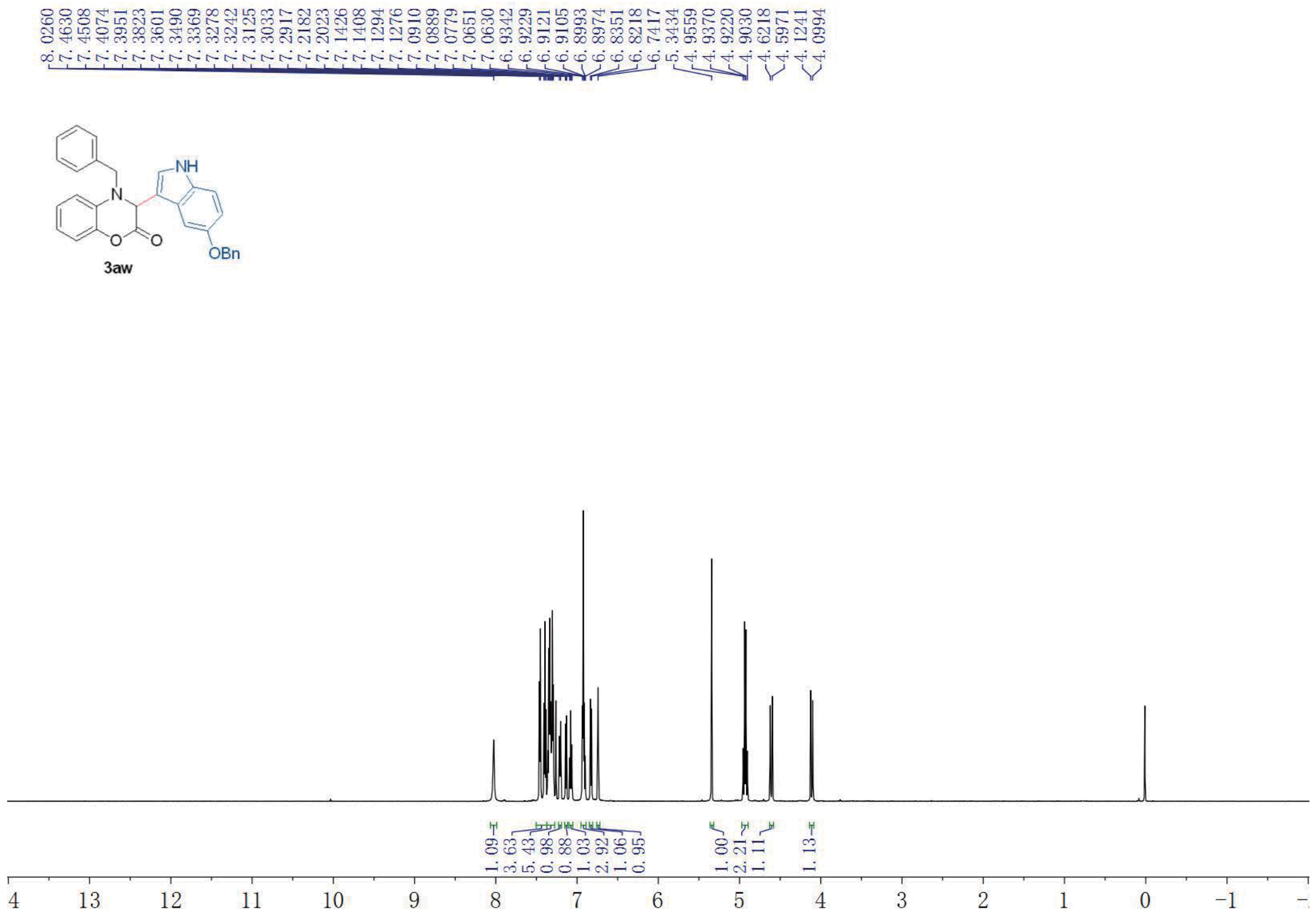
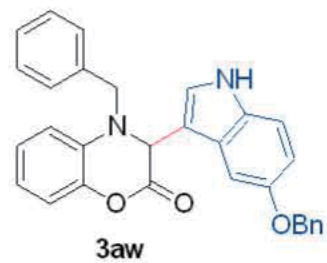


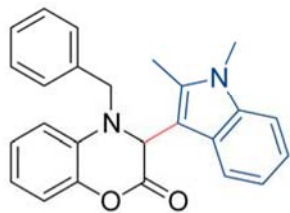


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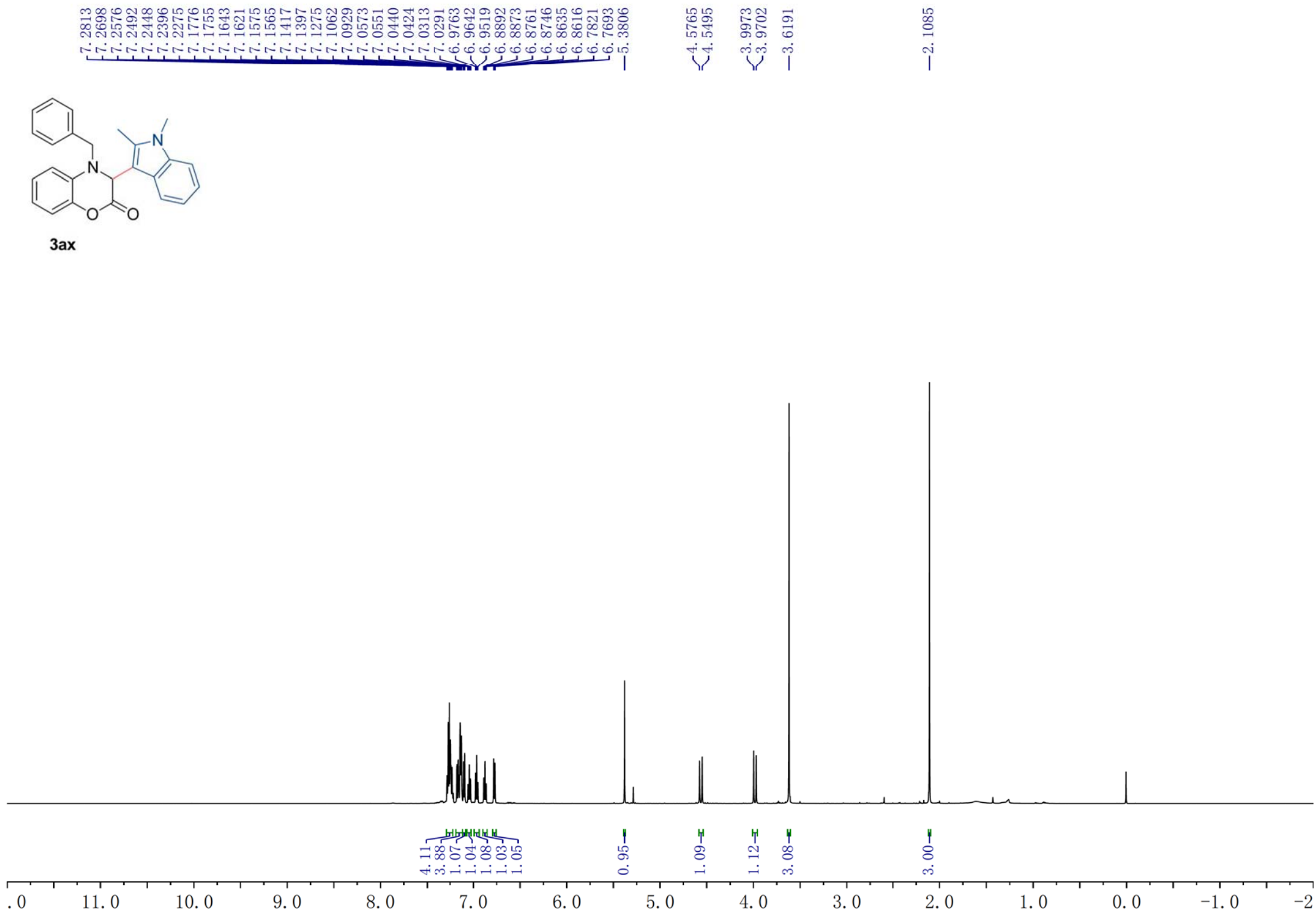


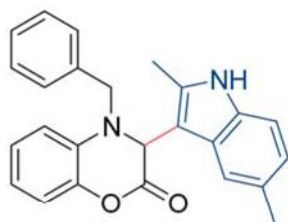




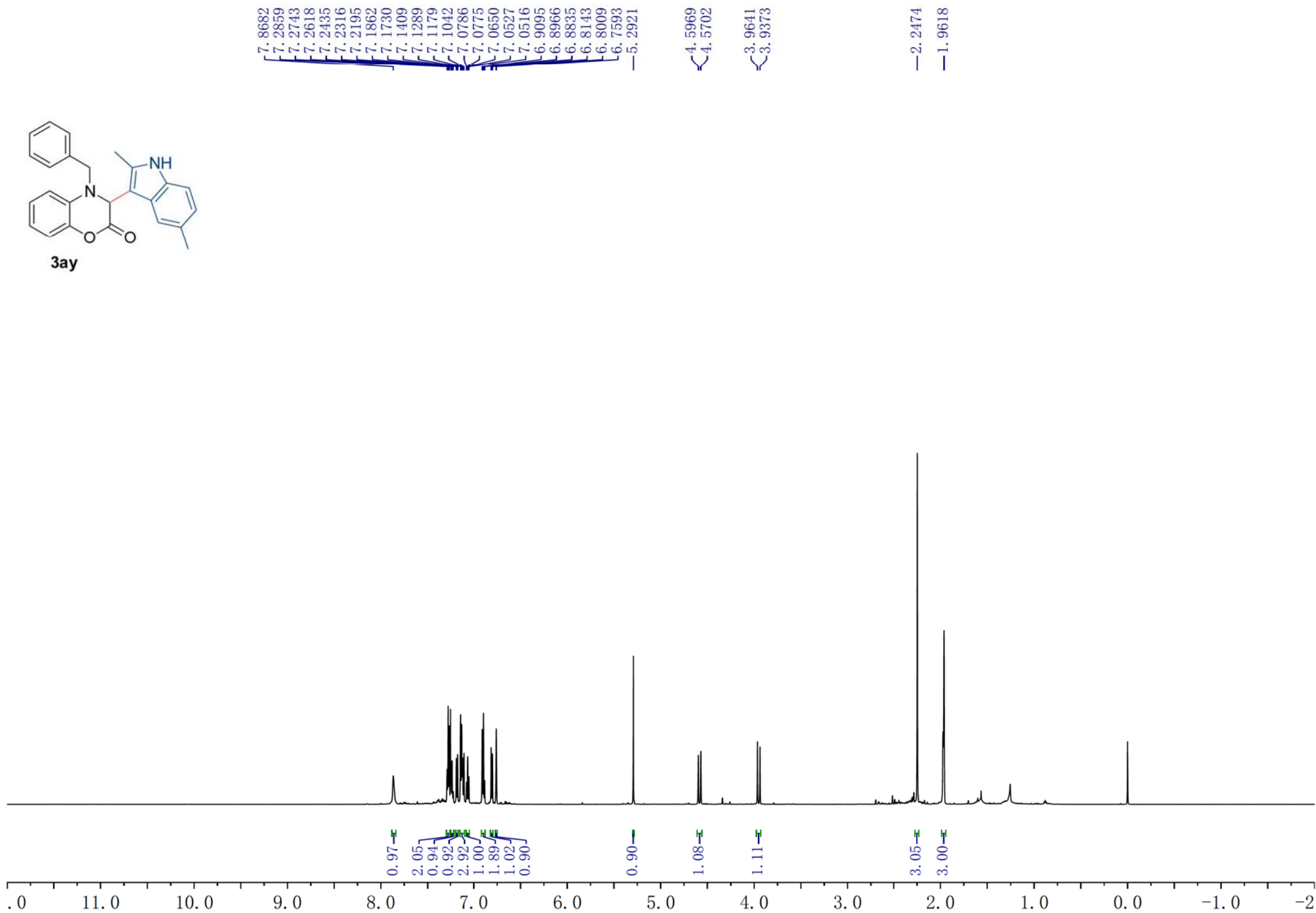


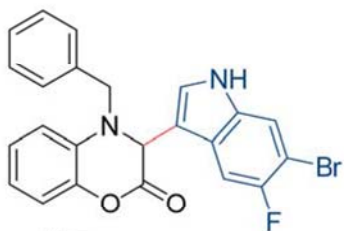
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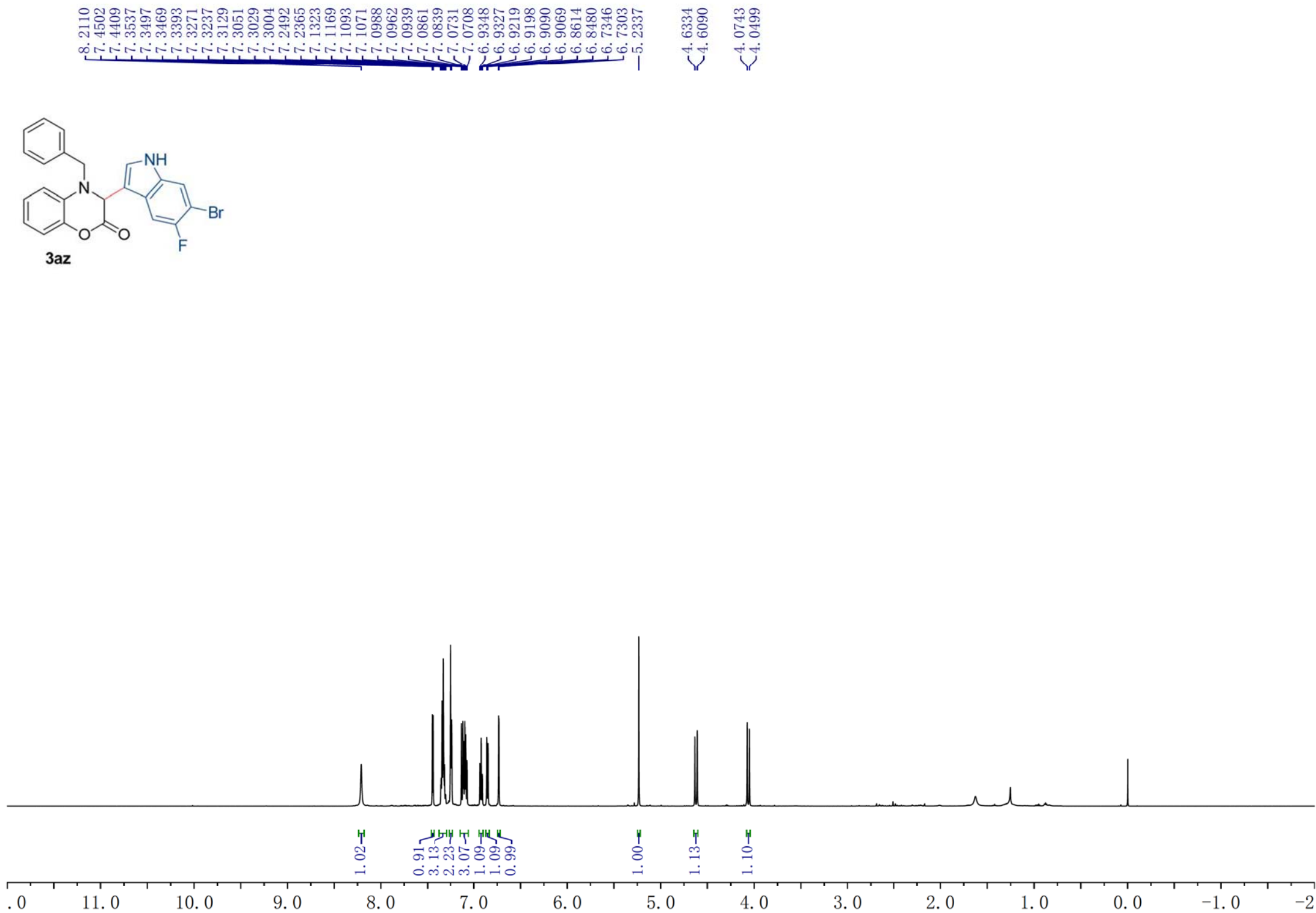


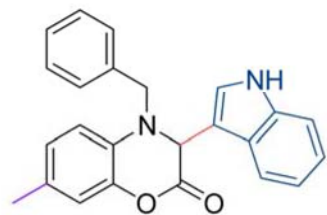
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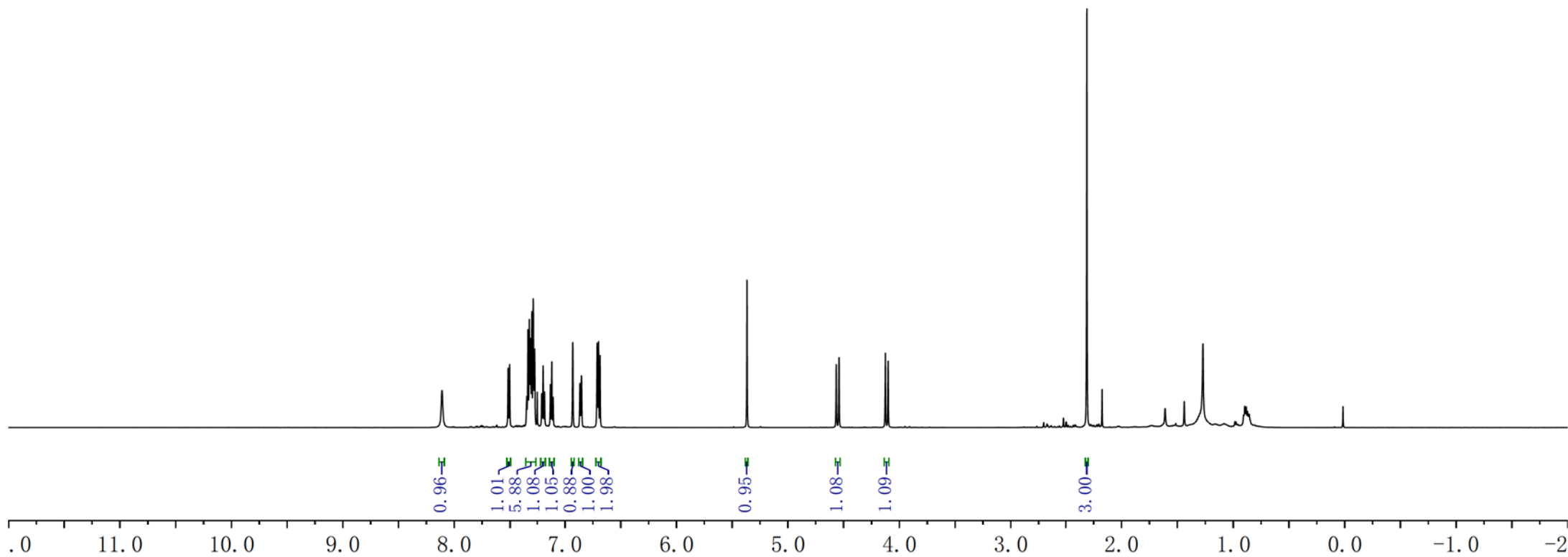
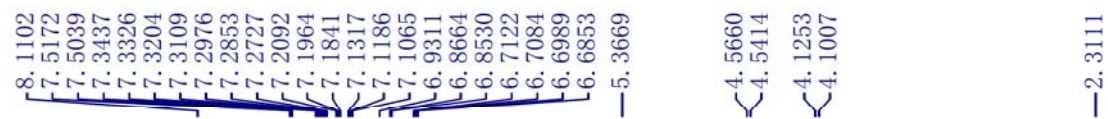


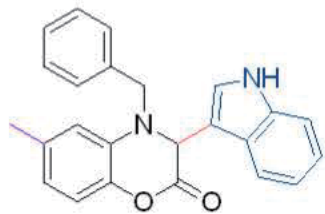
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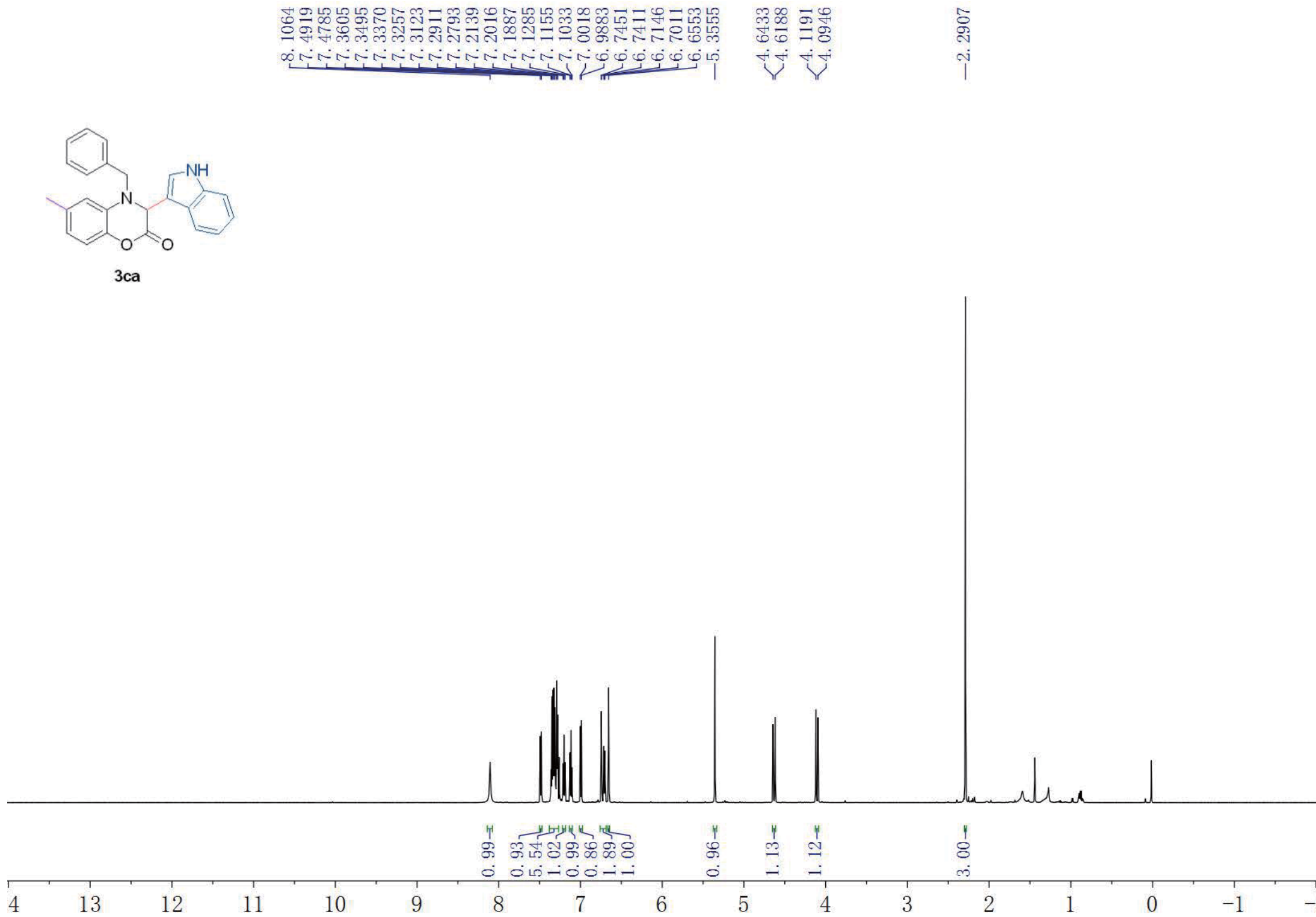


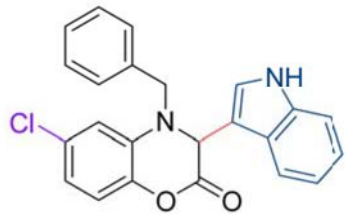
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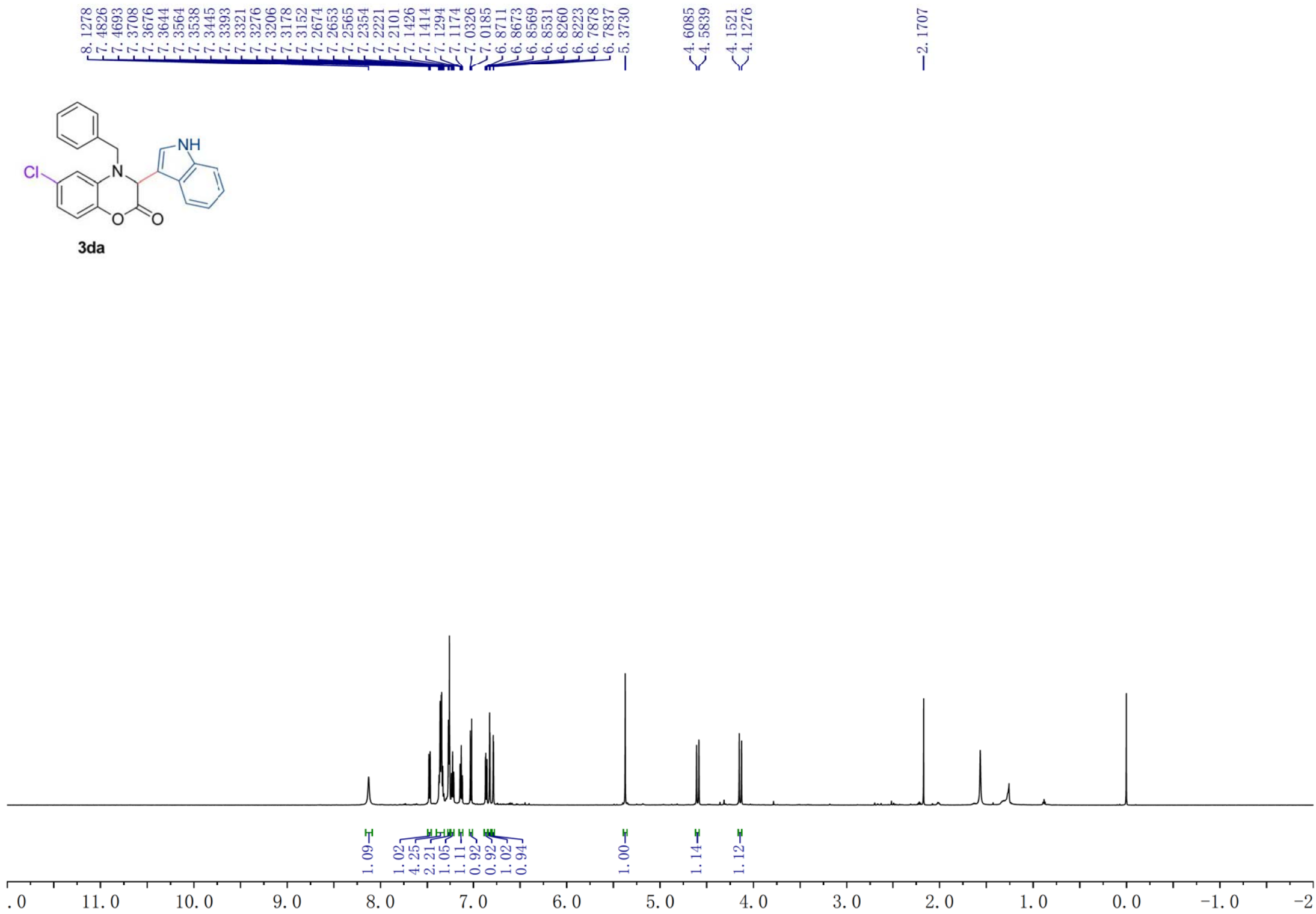


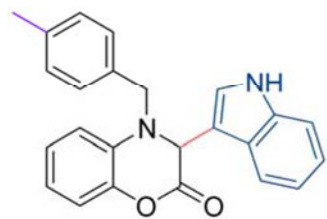
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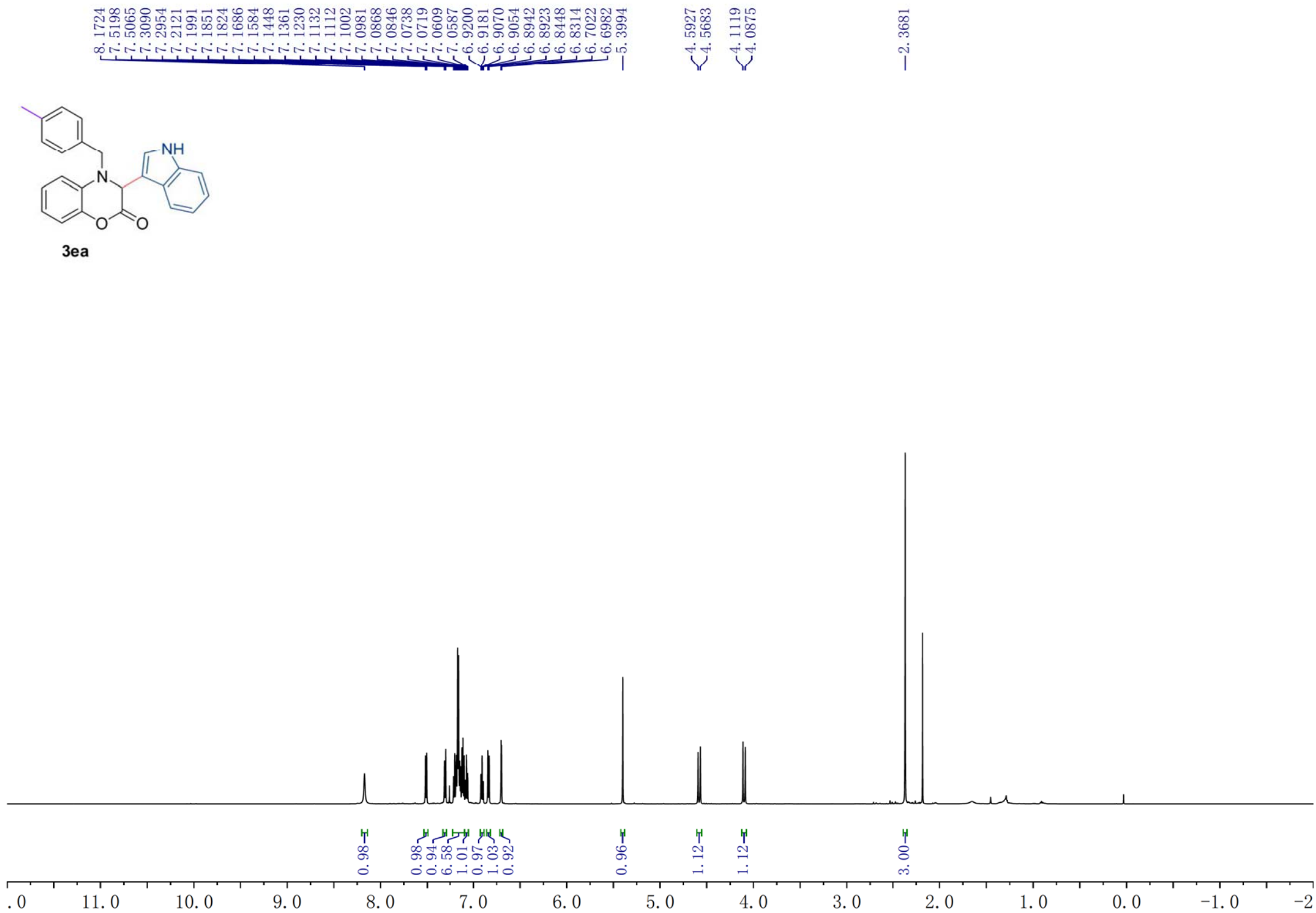


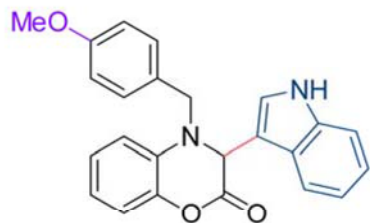
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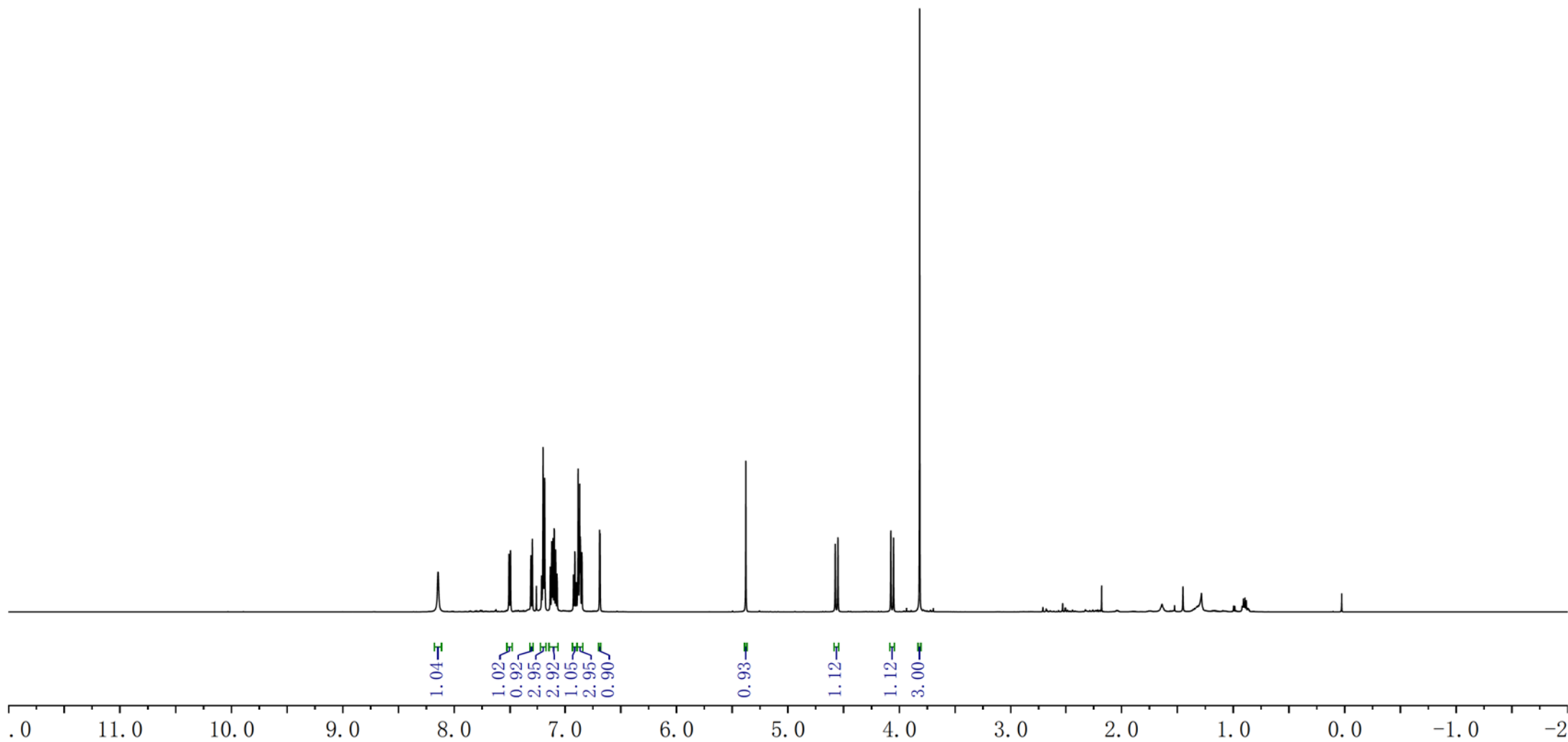


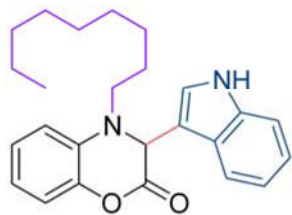
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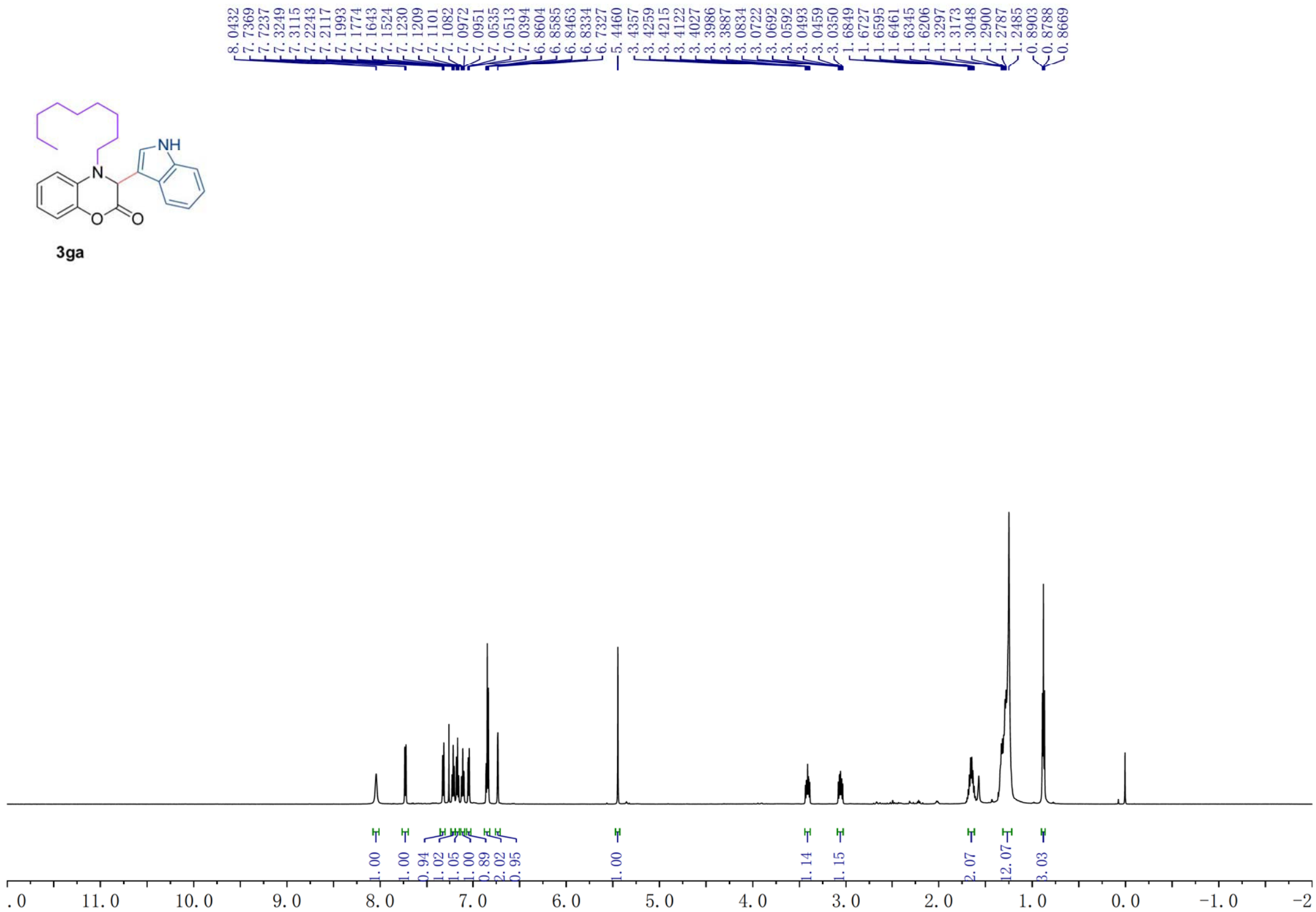
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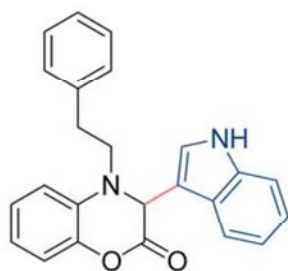
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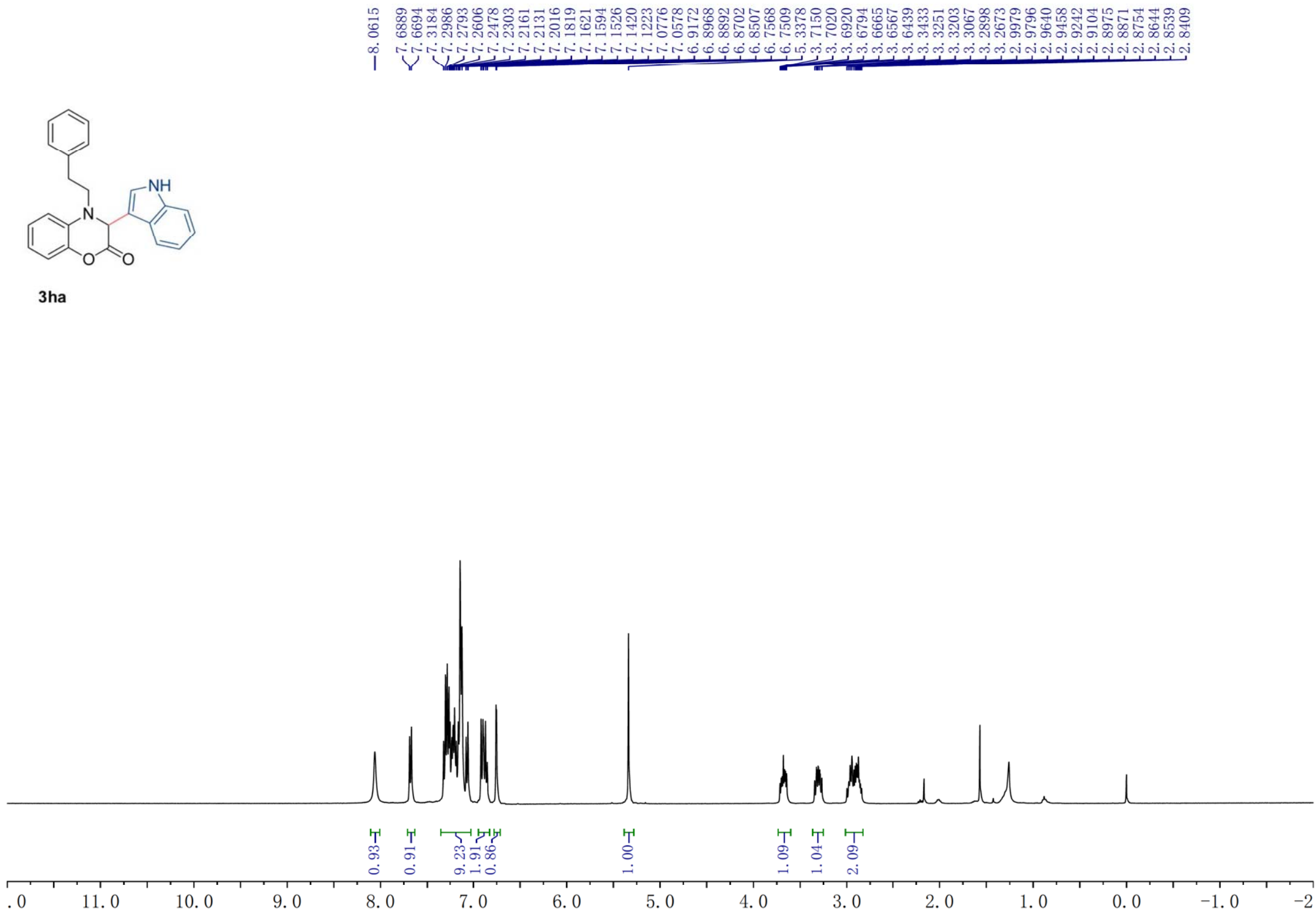


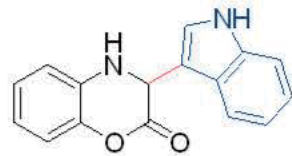
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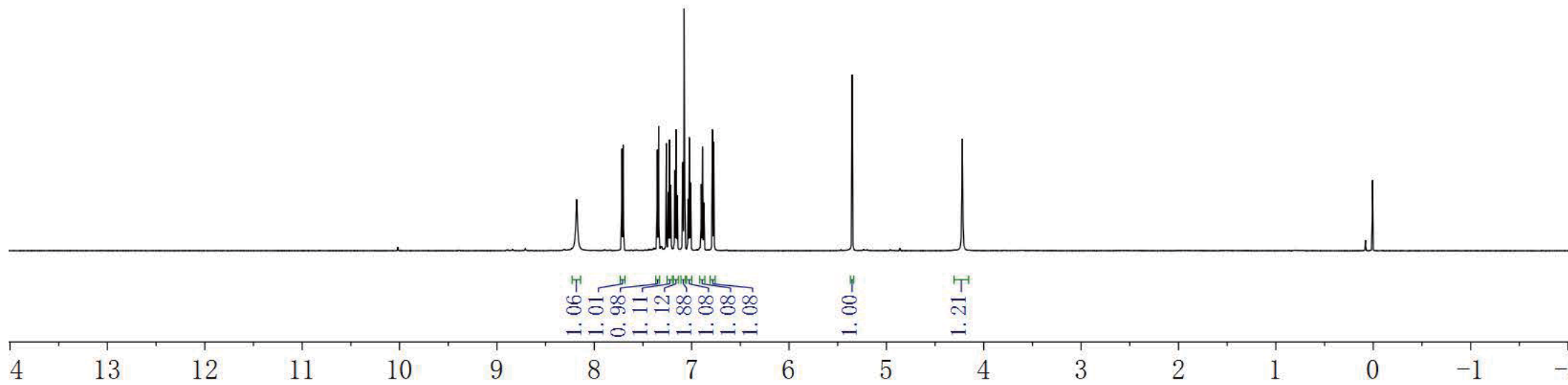




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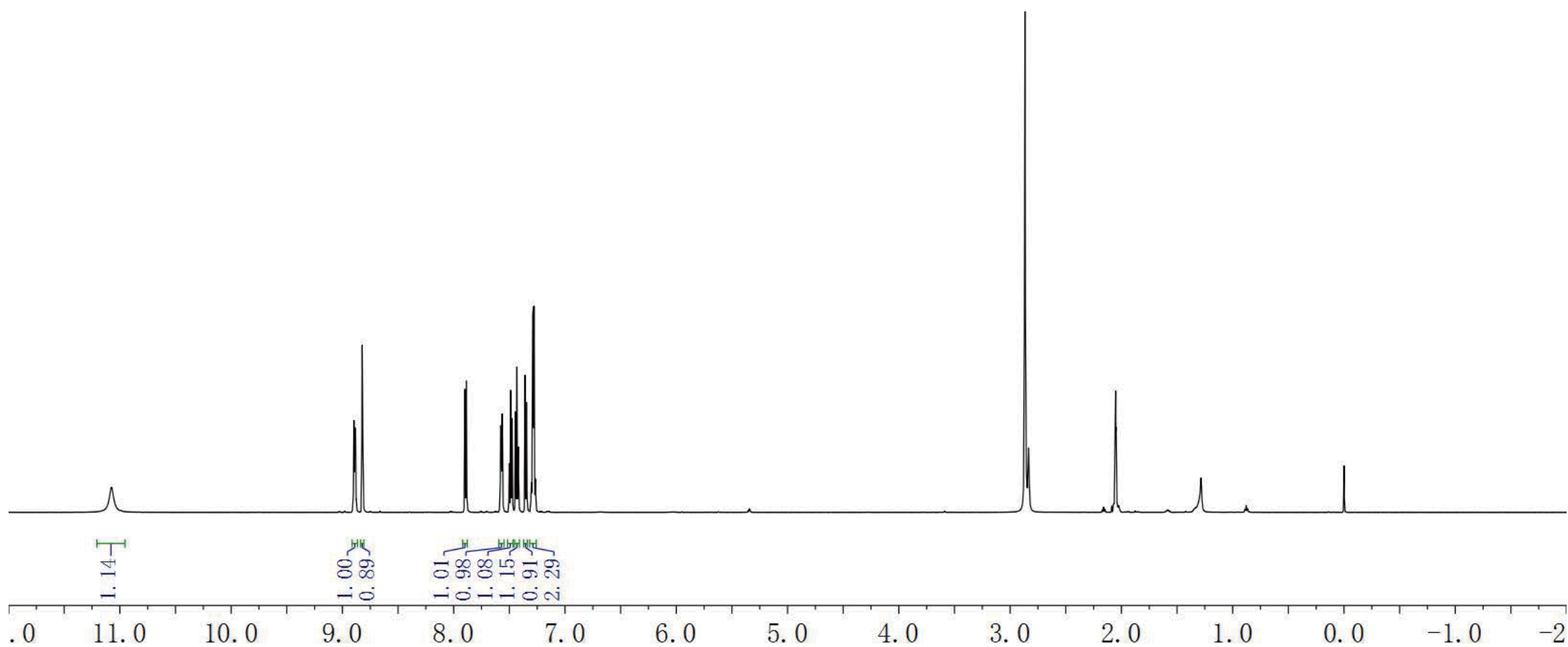


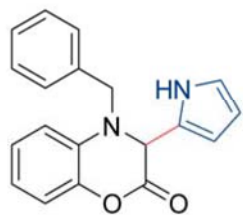


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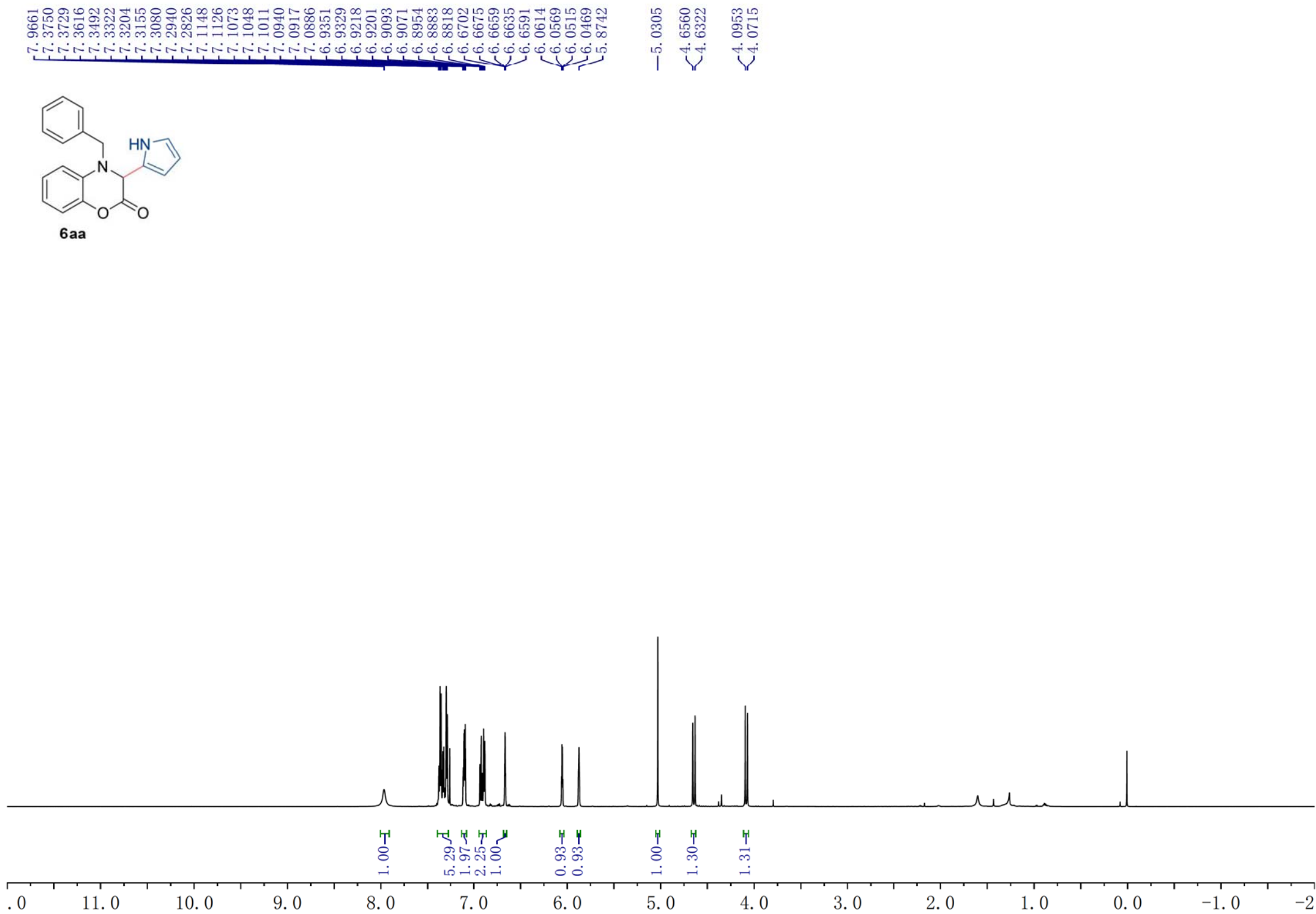
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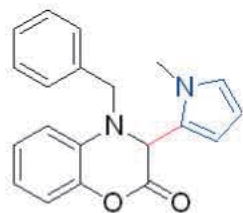
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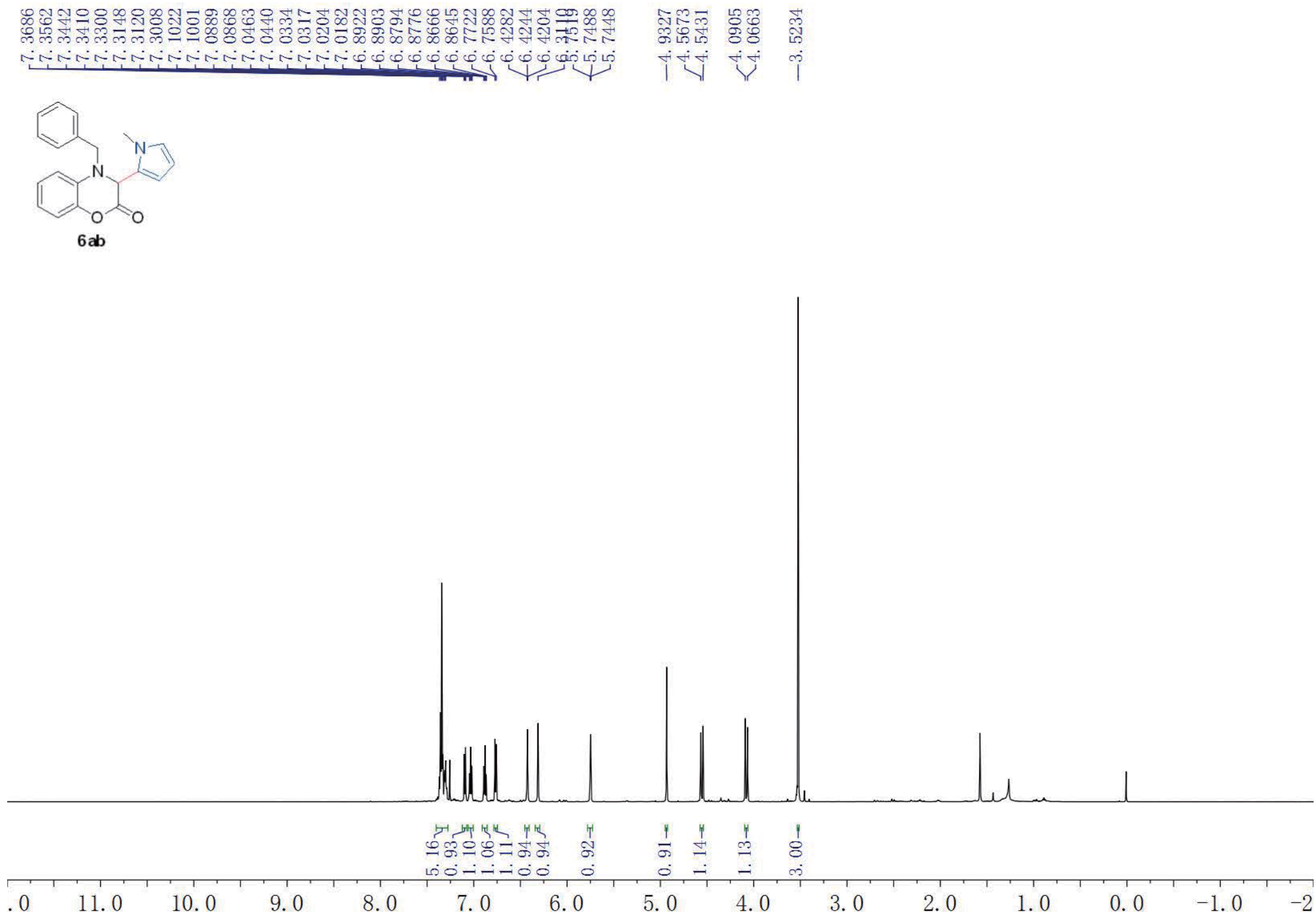


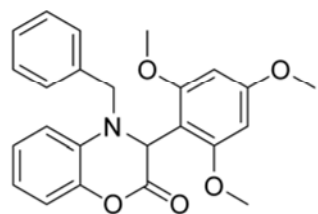
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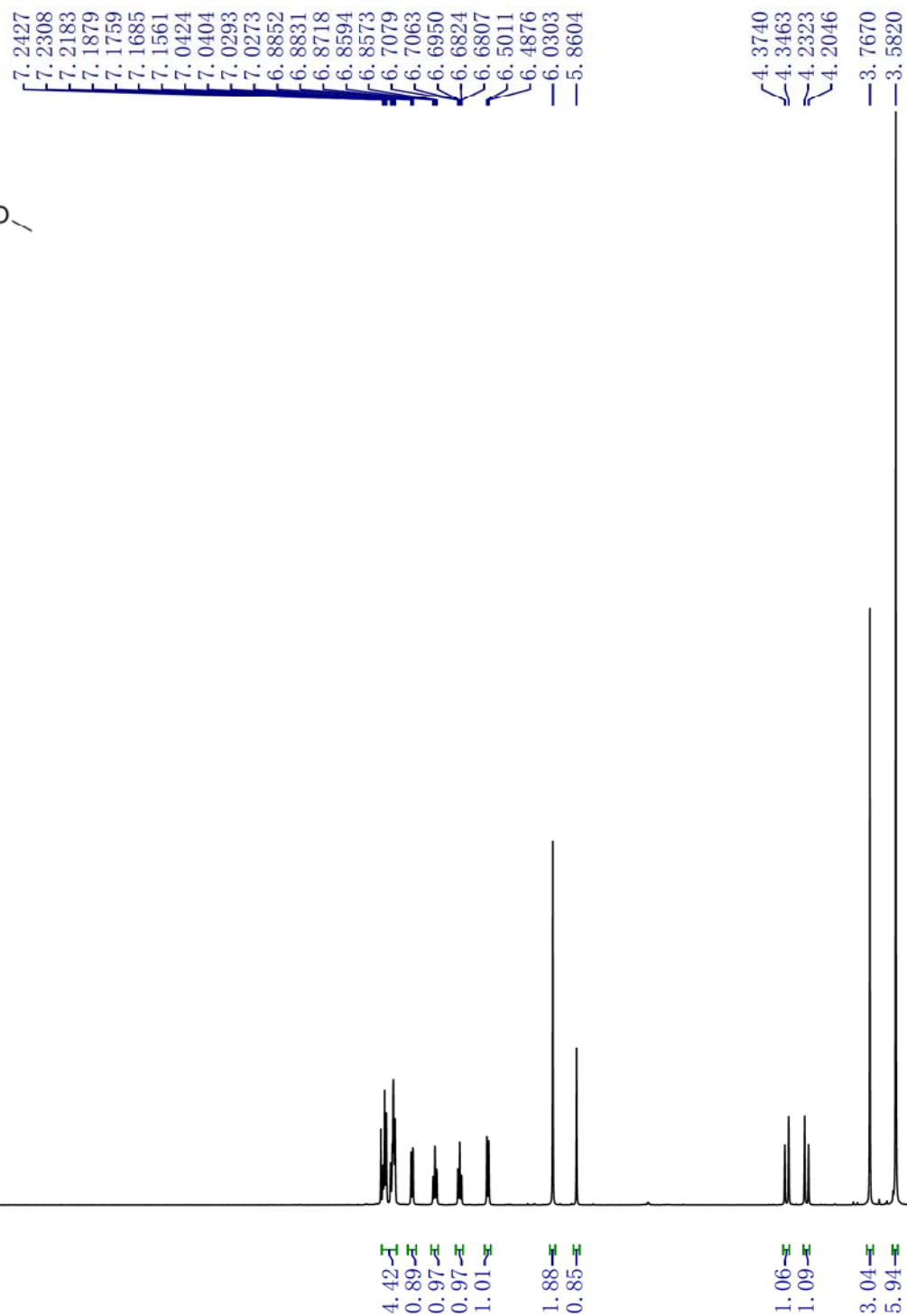


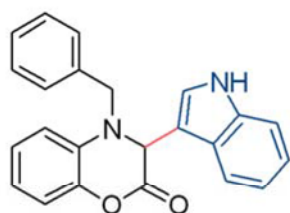
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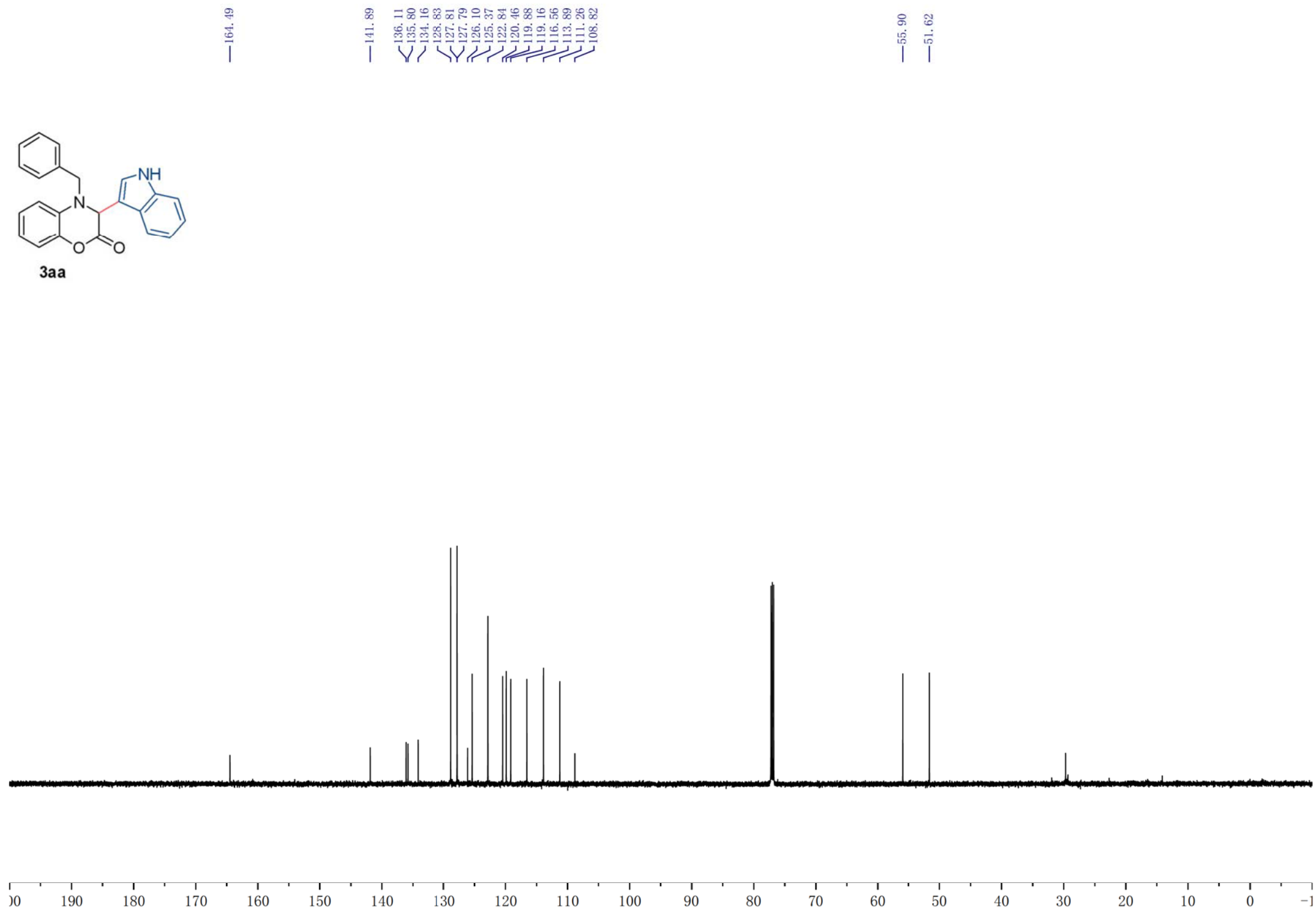


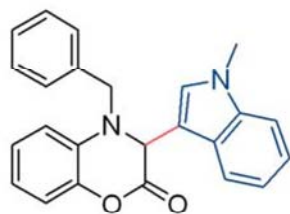
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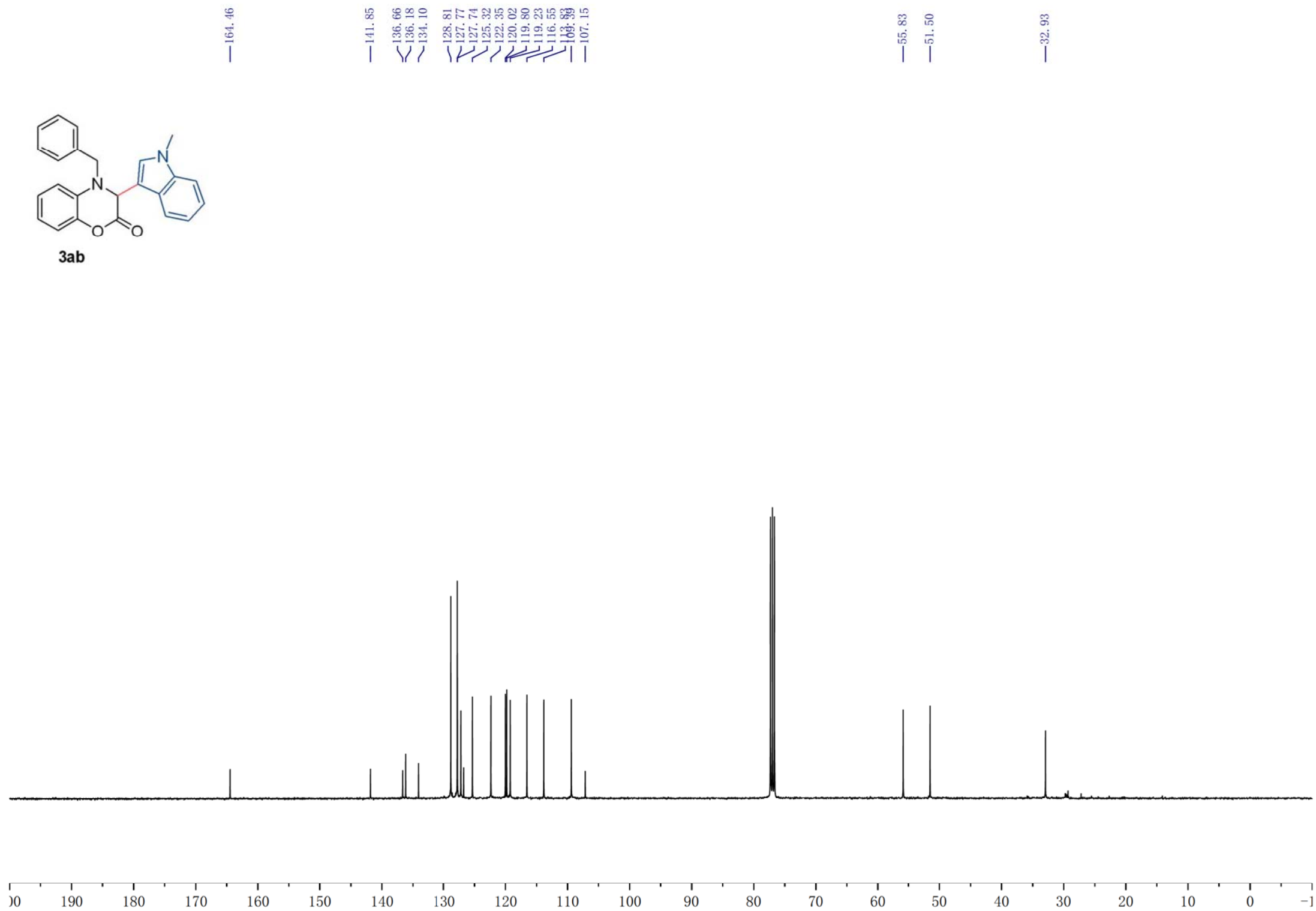


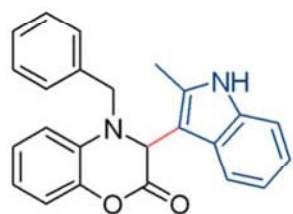
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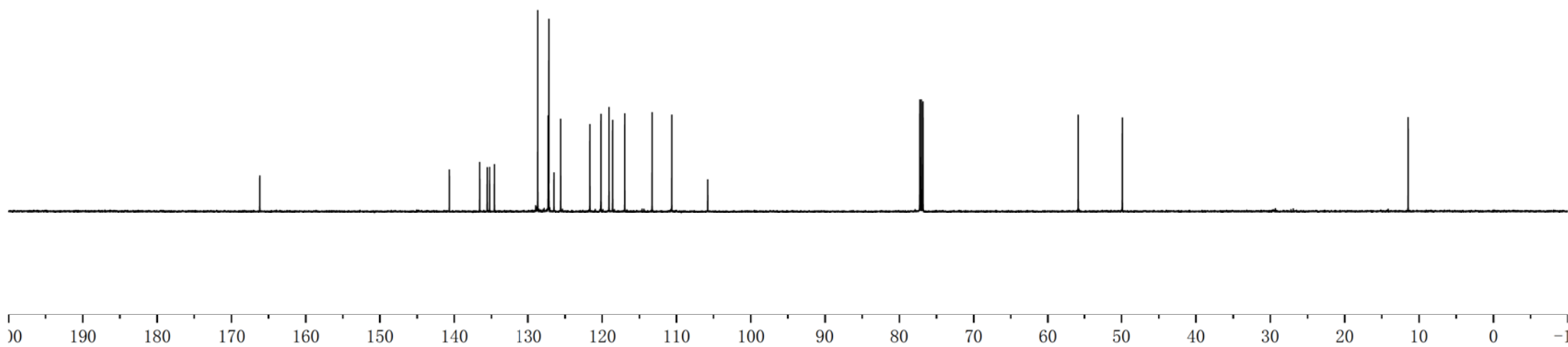
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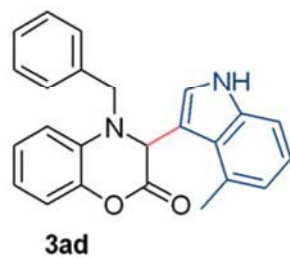
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— 55.83

— 49.90

— 11.47





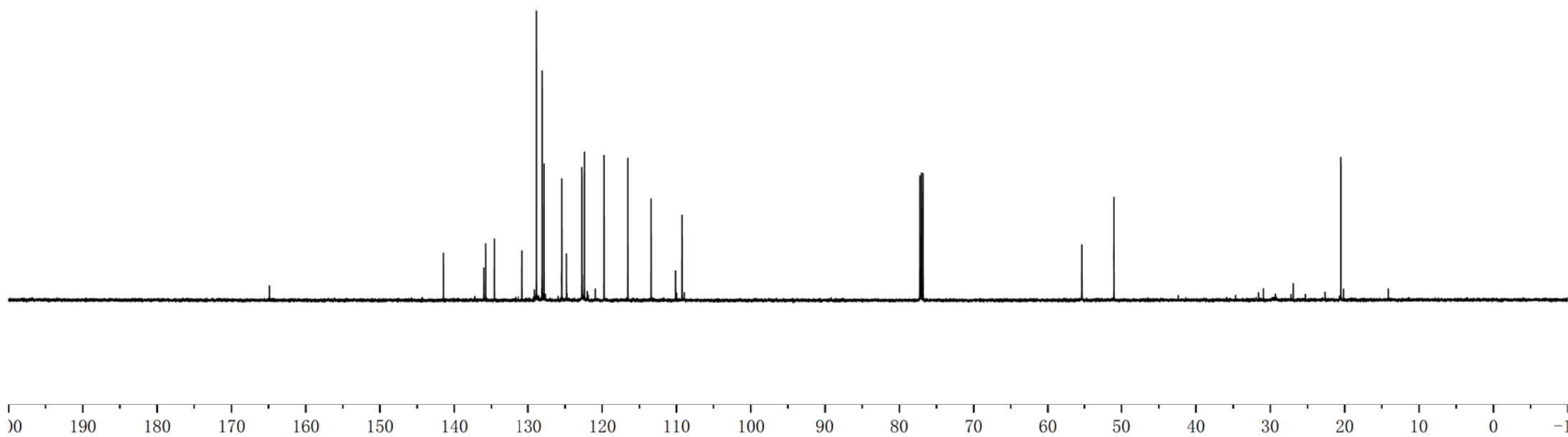
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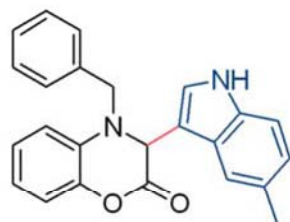
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— 55.33

— 51.02

— 20.51





3ae

— 164.83

— 141.93

— 136.20

— 134.30

— 134.14

— 129.70

— 128.82

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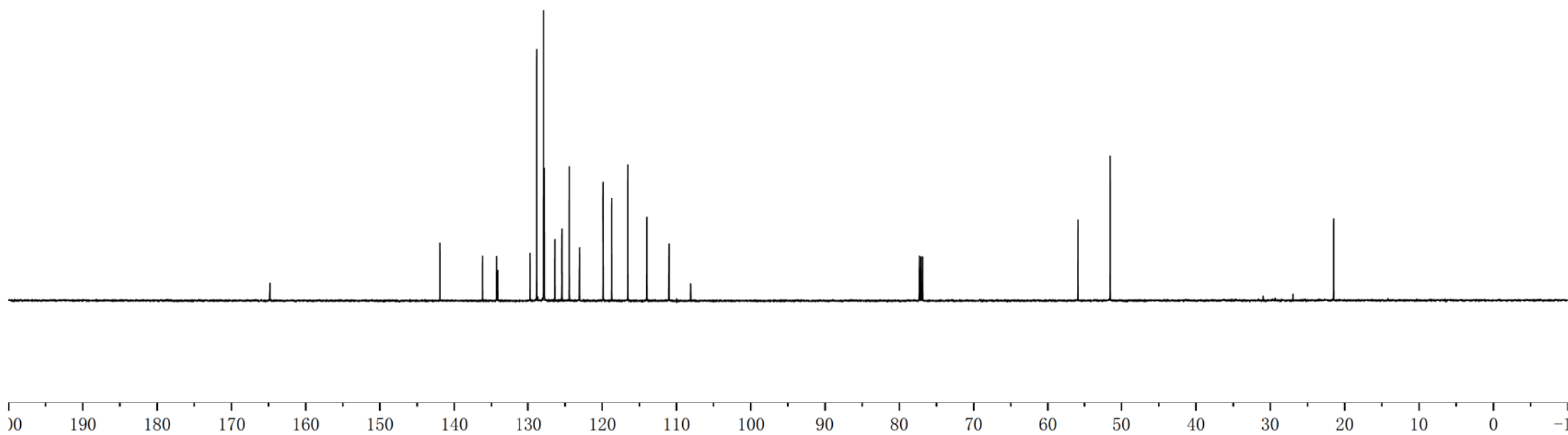
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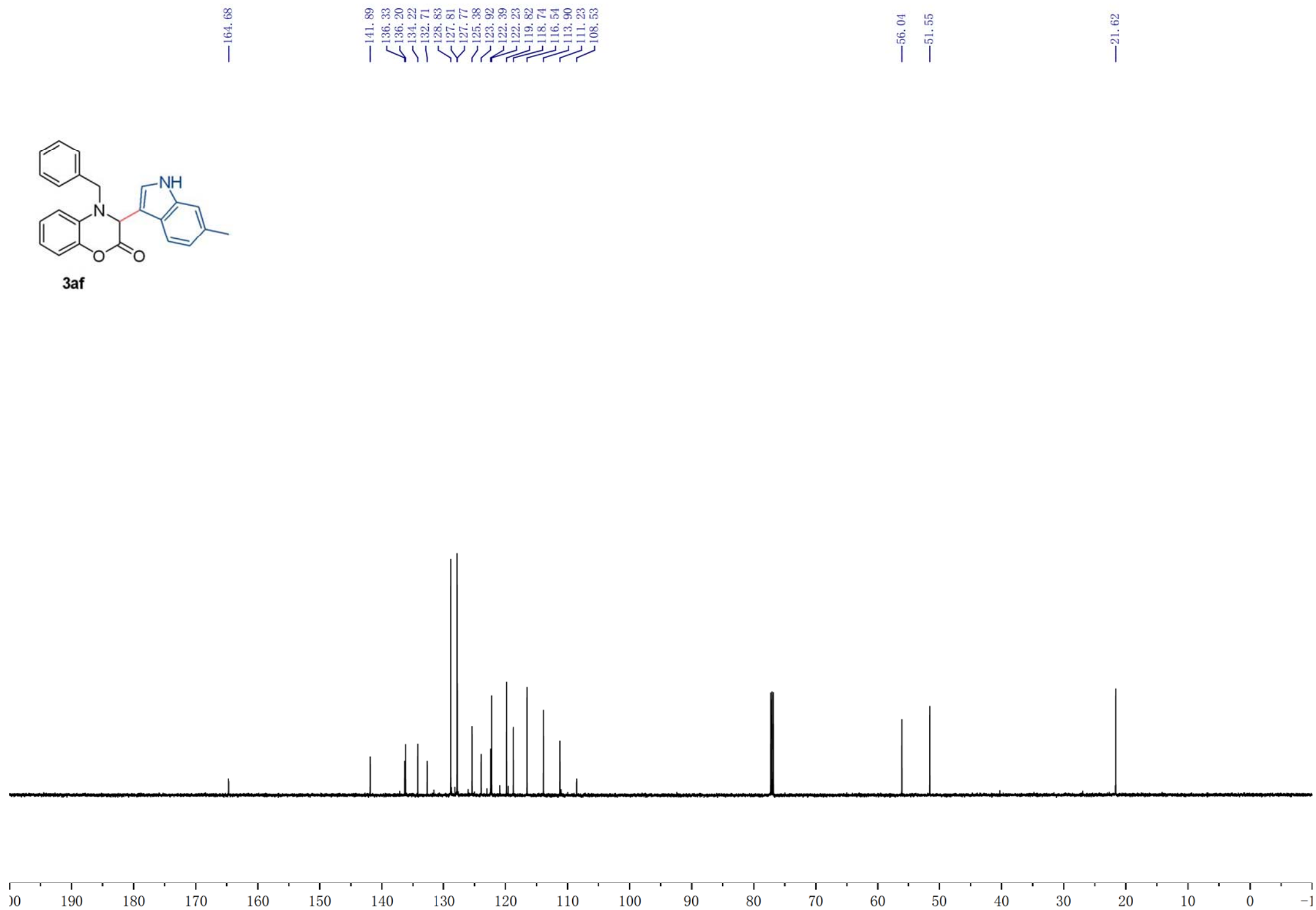
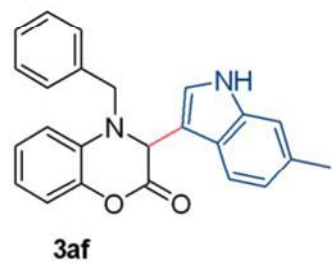
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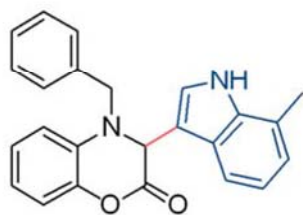
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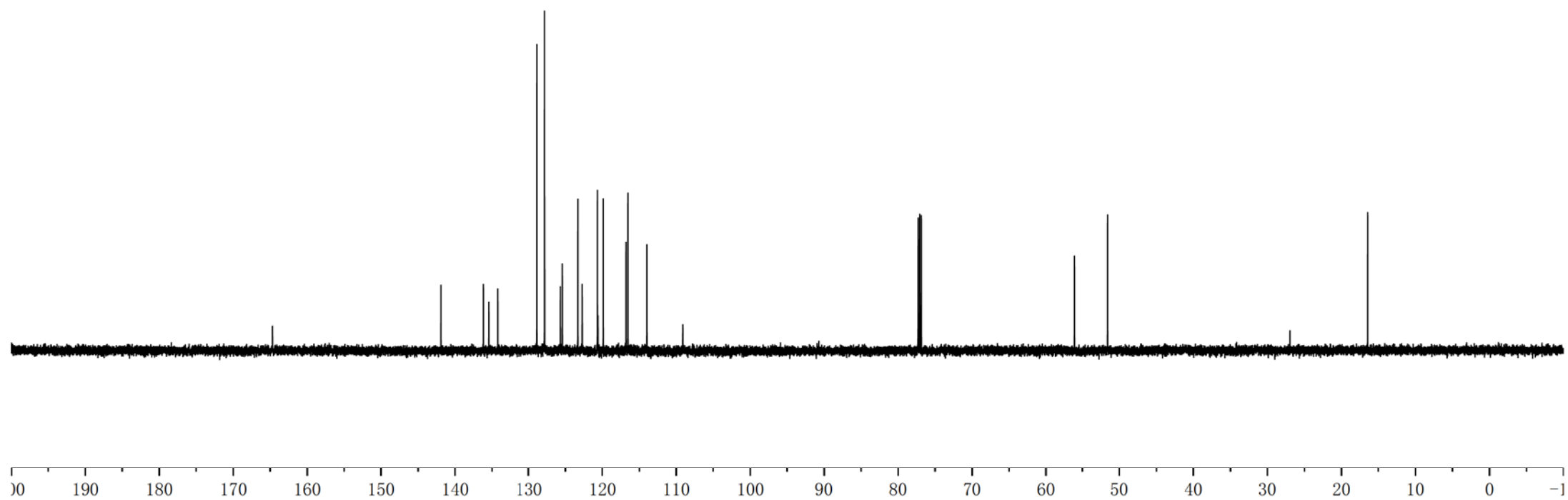
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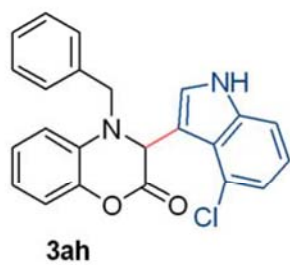






3ag





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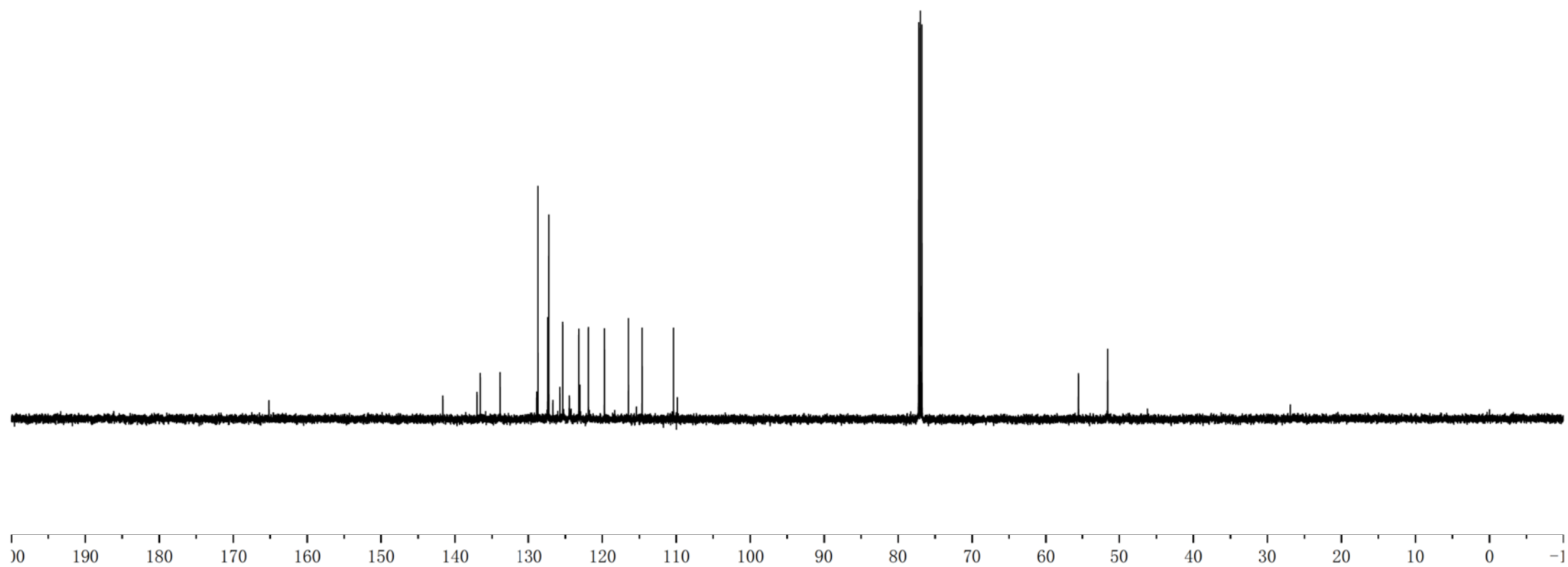
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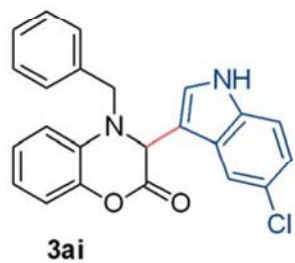
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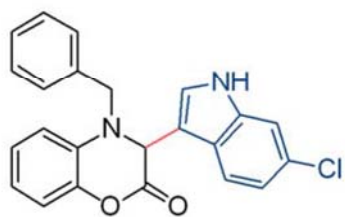
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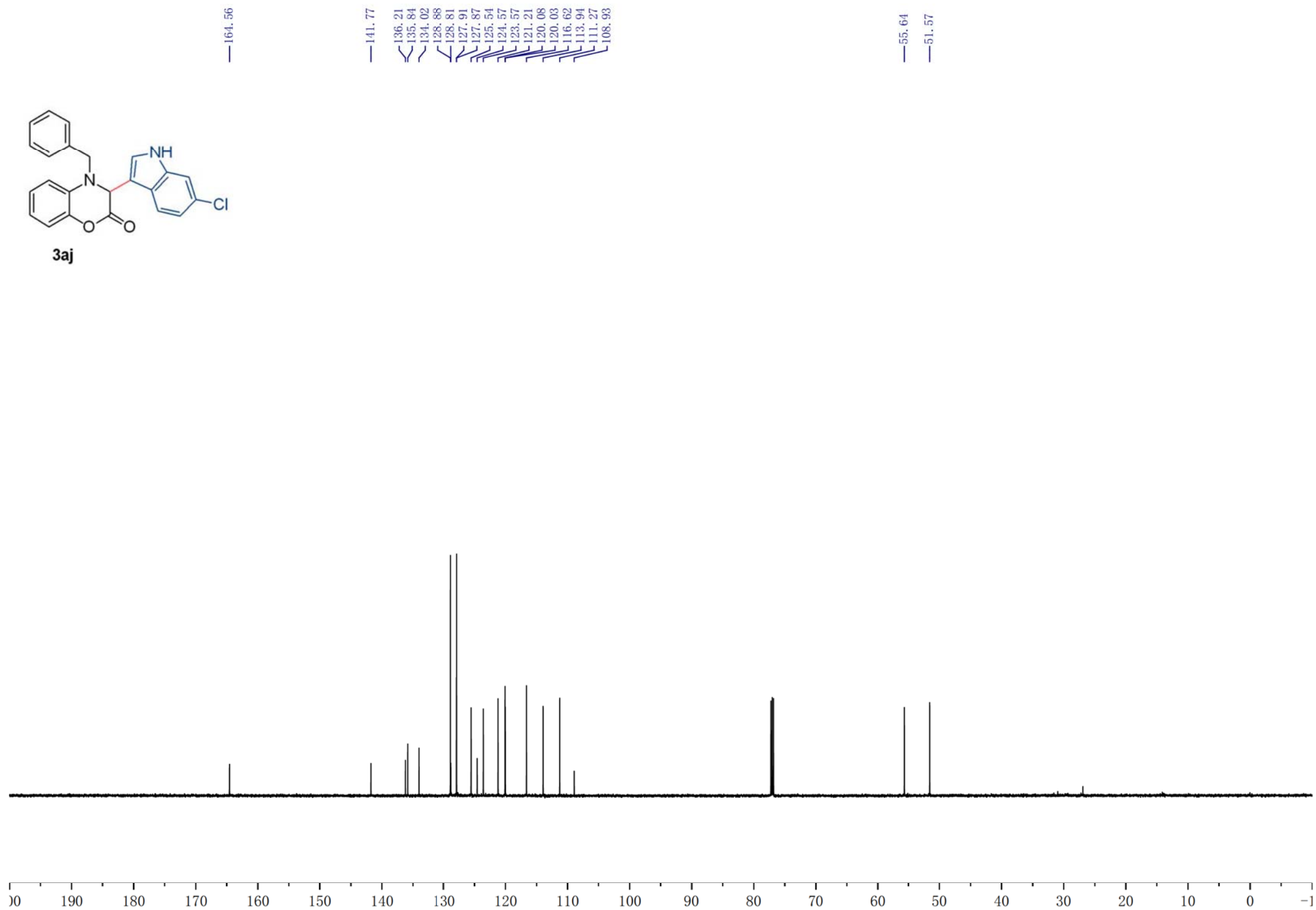
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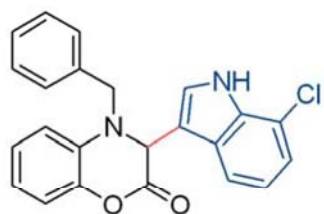
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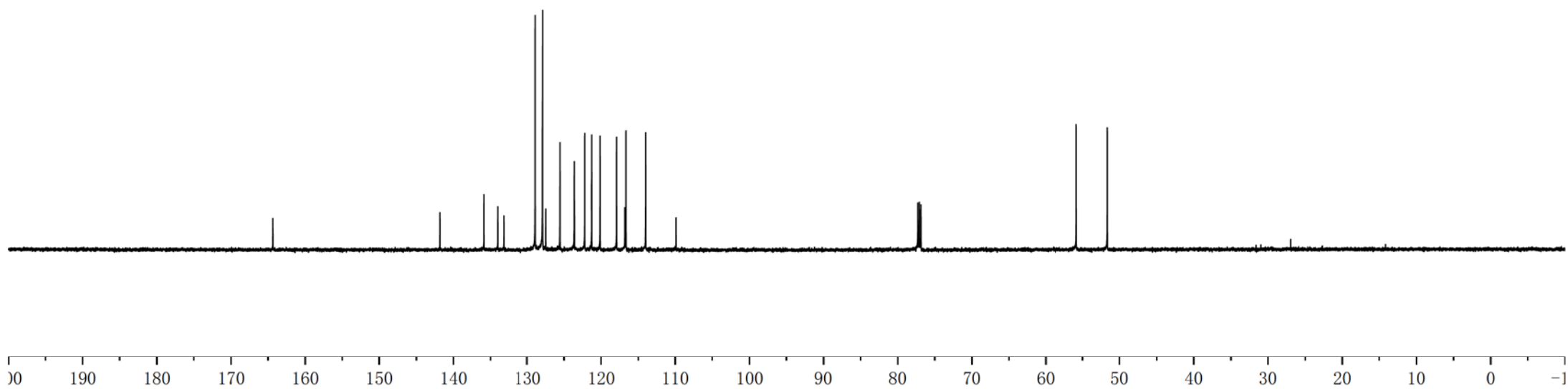
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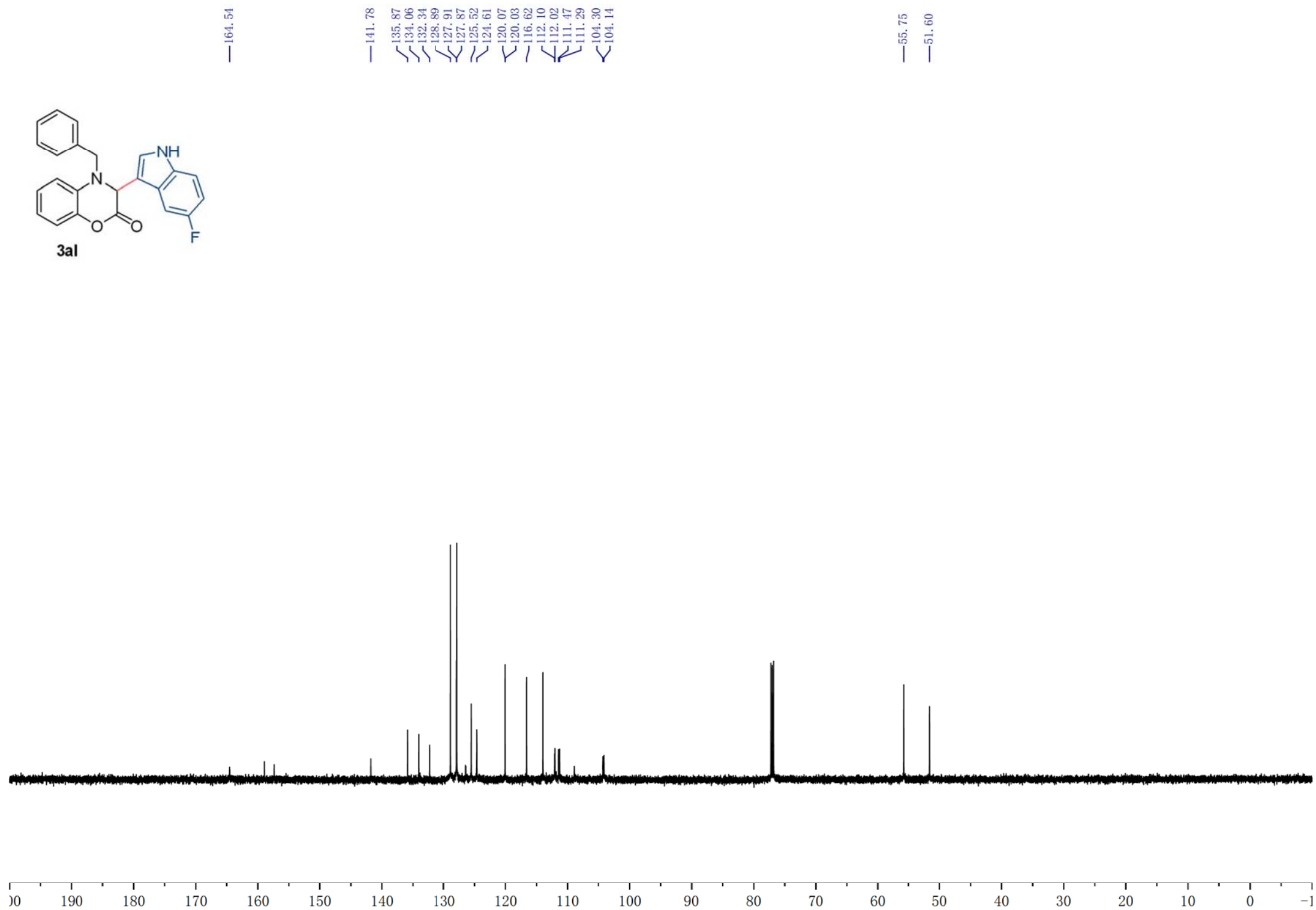
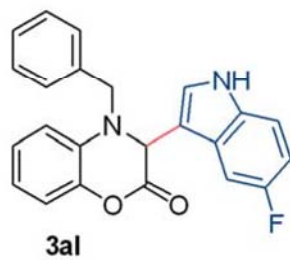
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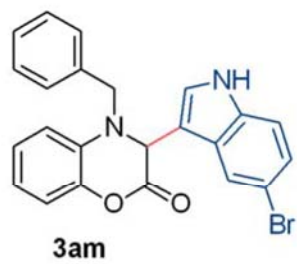
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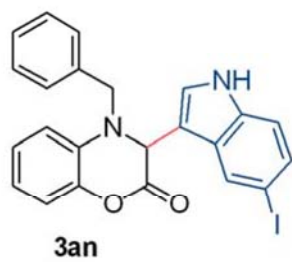
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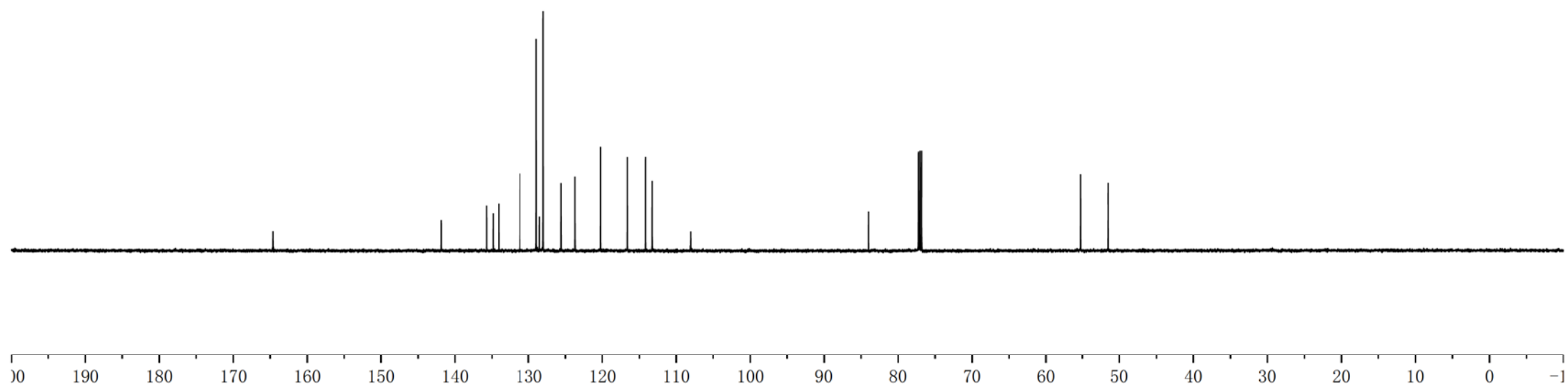
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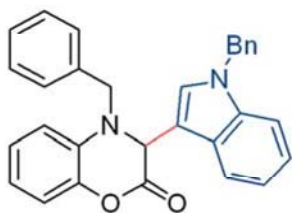
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—51.51





3ao

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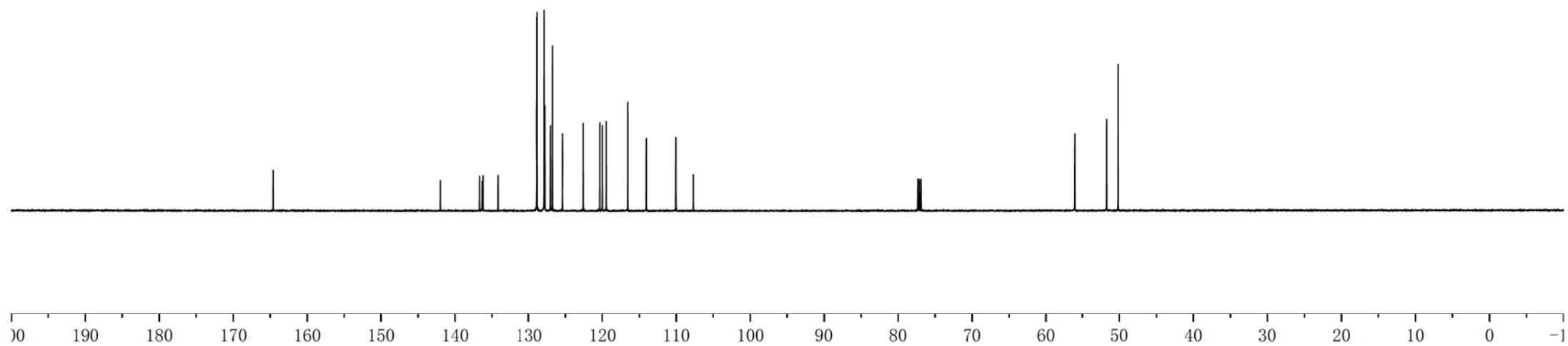
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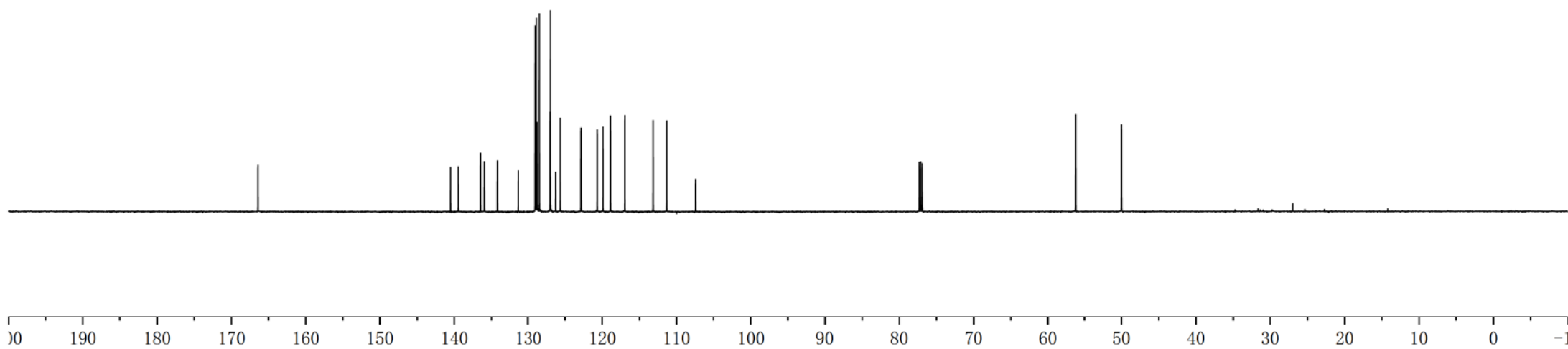
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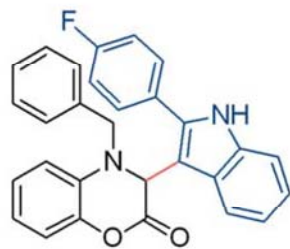
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—56.16

—50.01





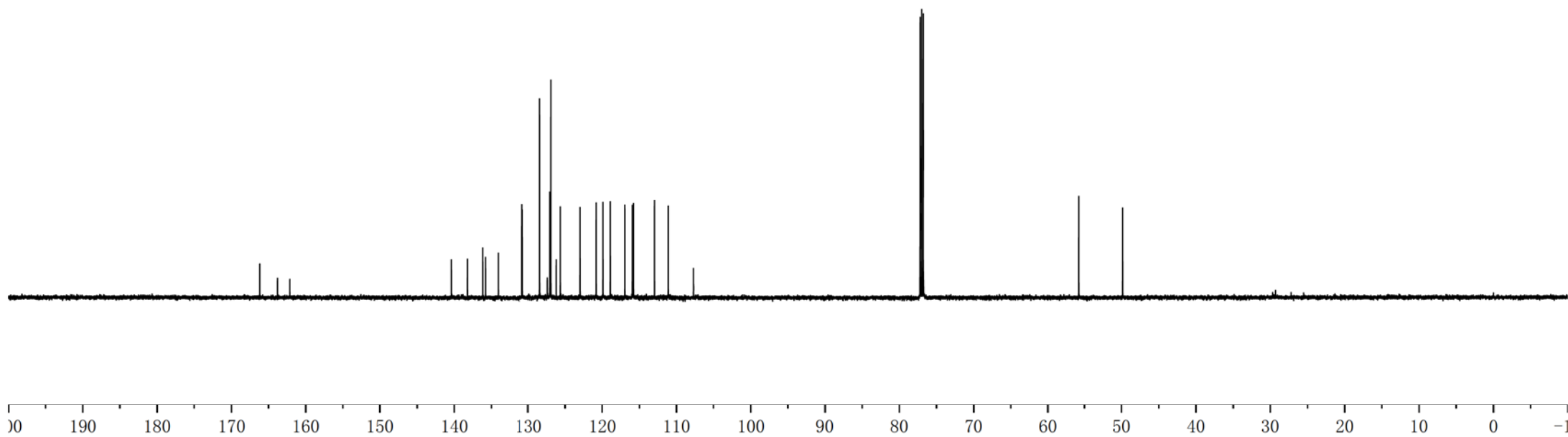
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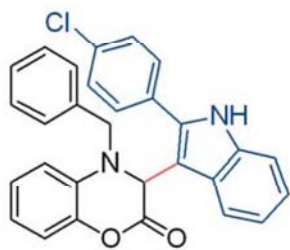
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—55.76

—49.86





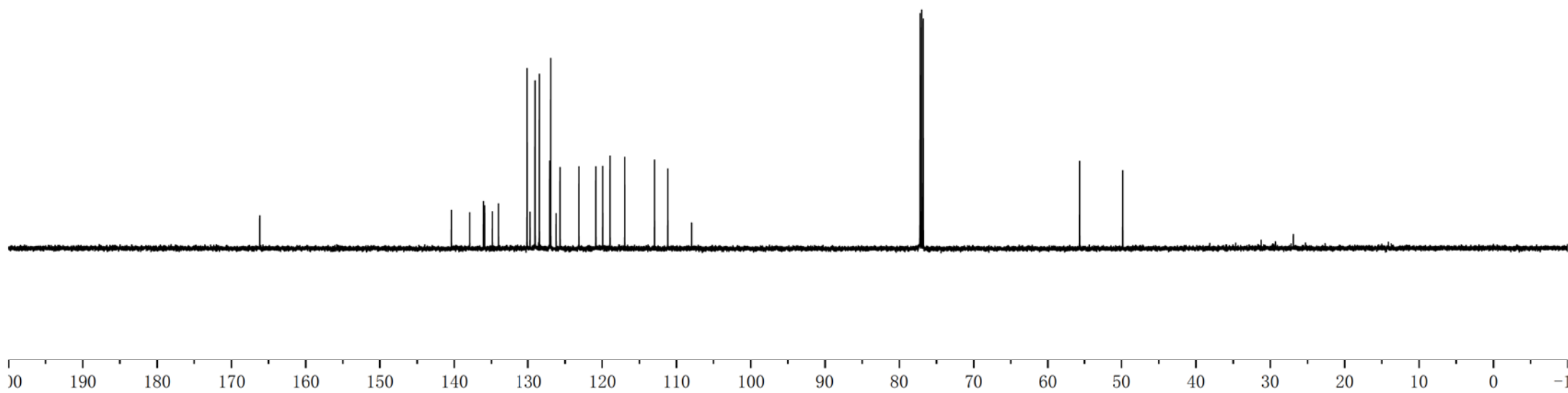
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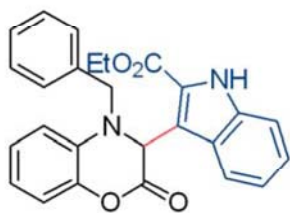
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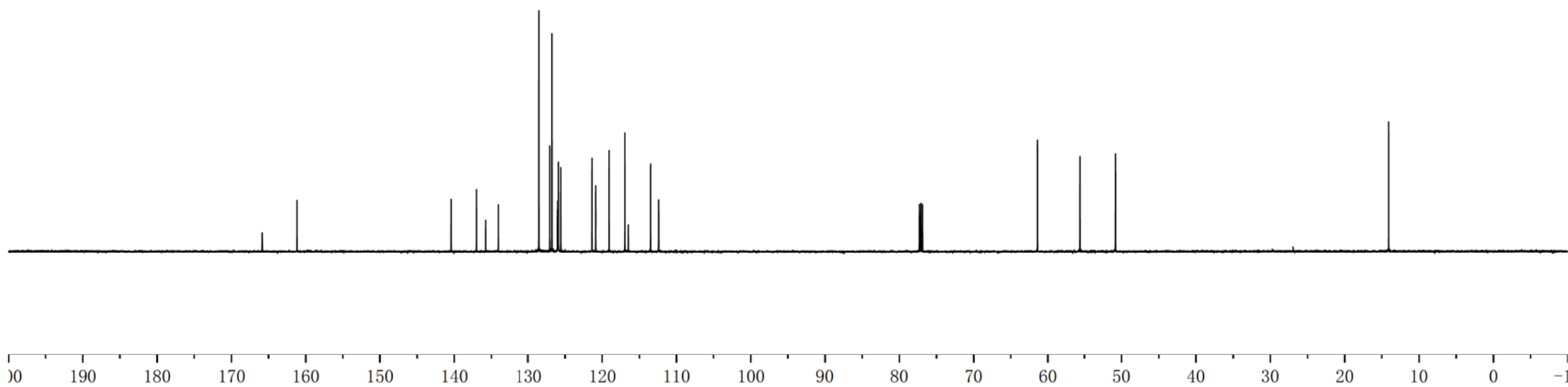
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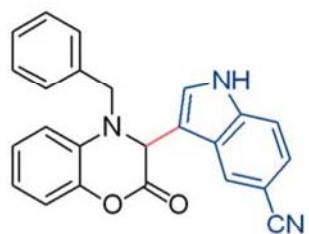
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3at

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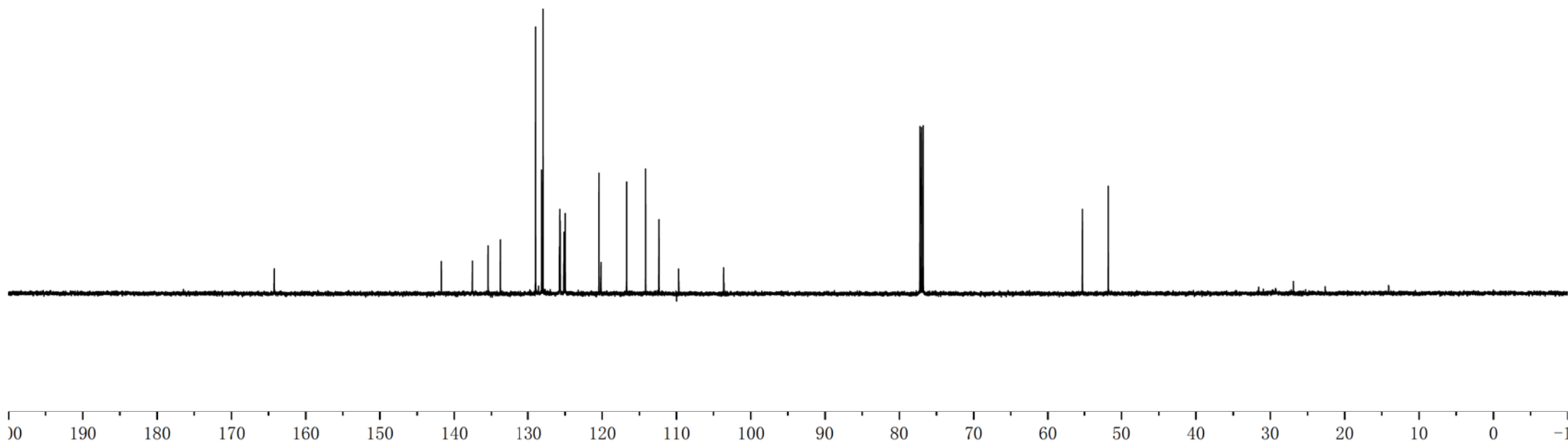
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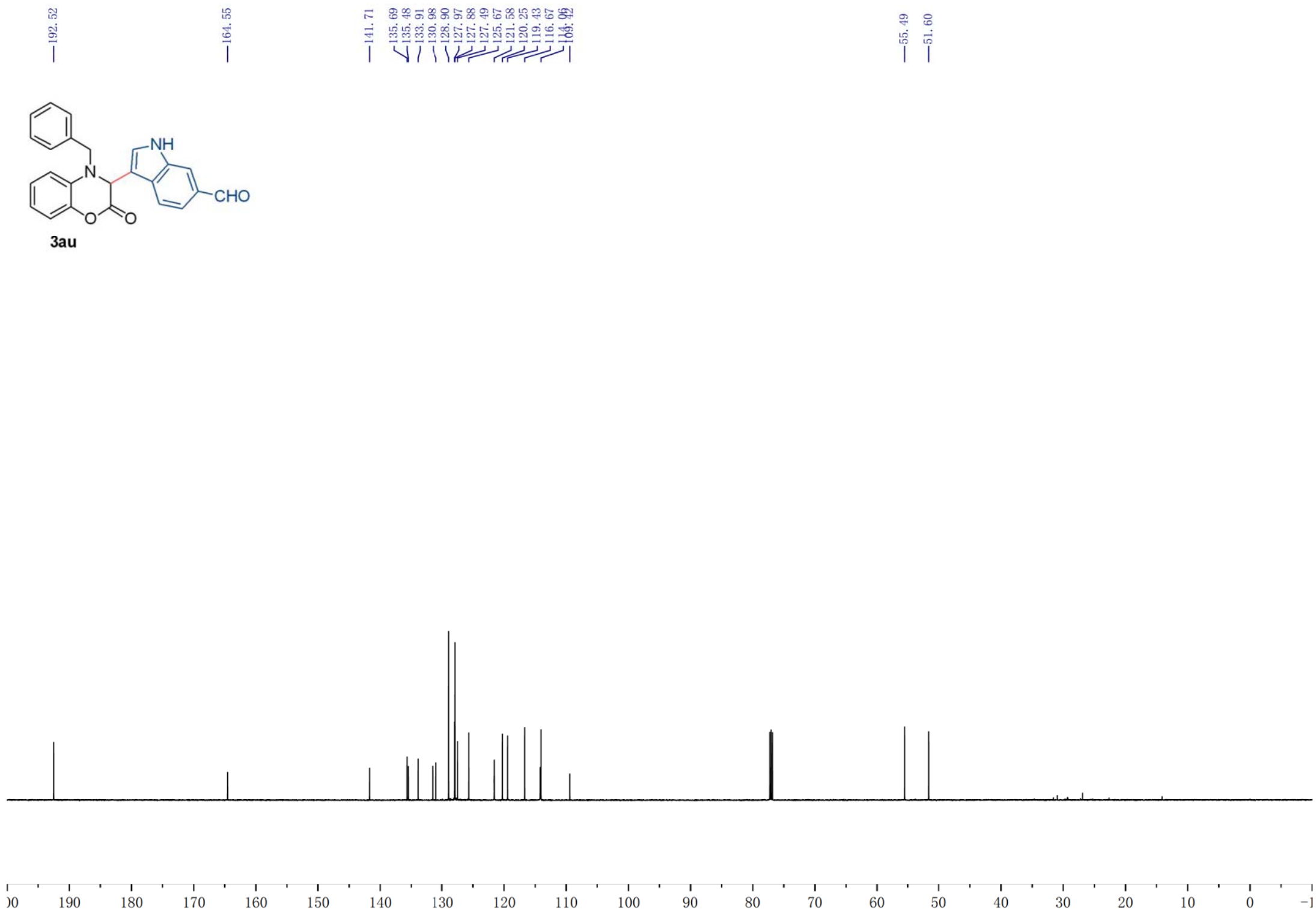
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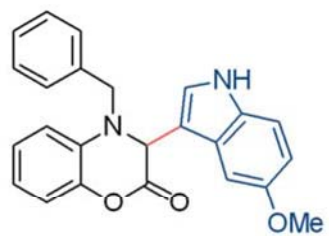
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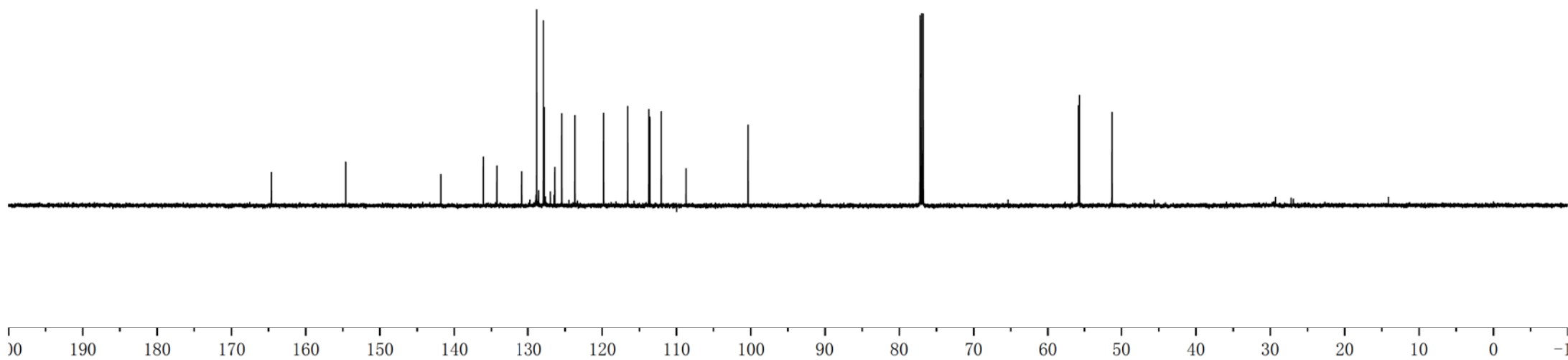
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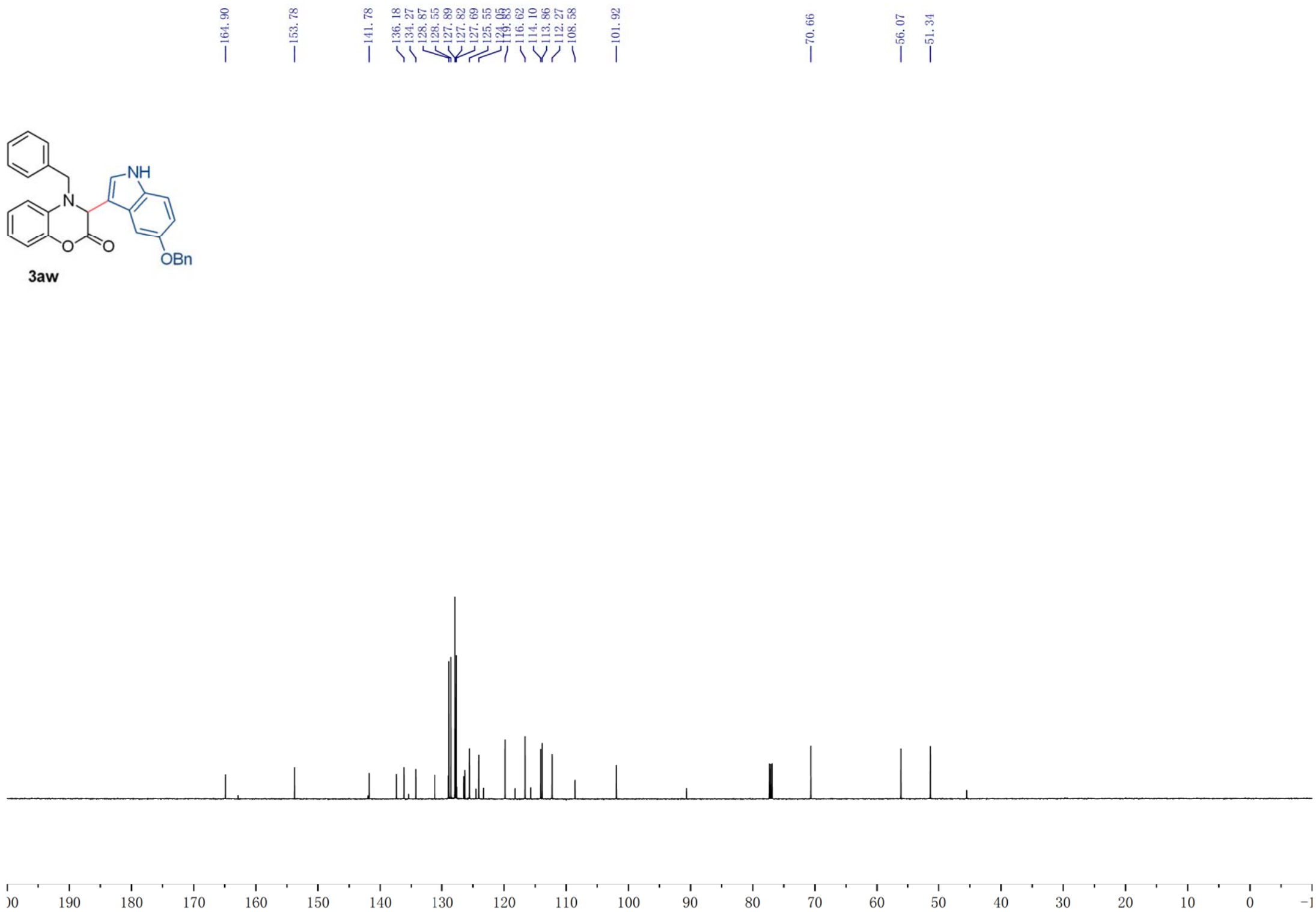
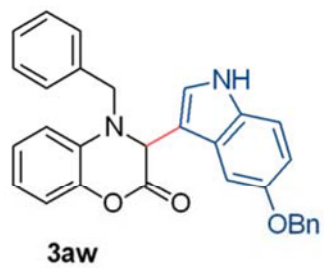


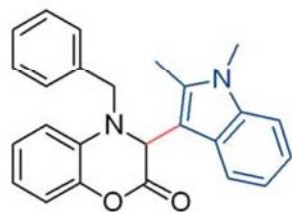




3av







3ax

— 166.03

— 140.66

— 136.99

— 136.82

— 134.63

— 128.65

— 127.21

— 127.09

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— 125.49

— 121.32

— 119.95

— 119.03

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— 116.97

— 113.23

— 108.97

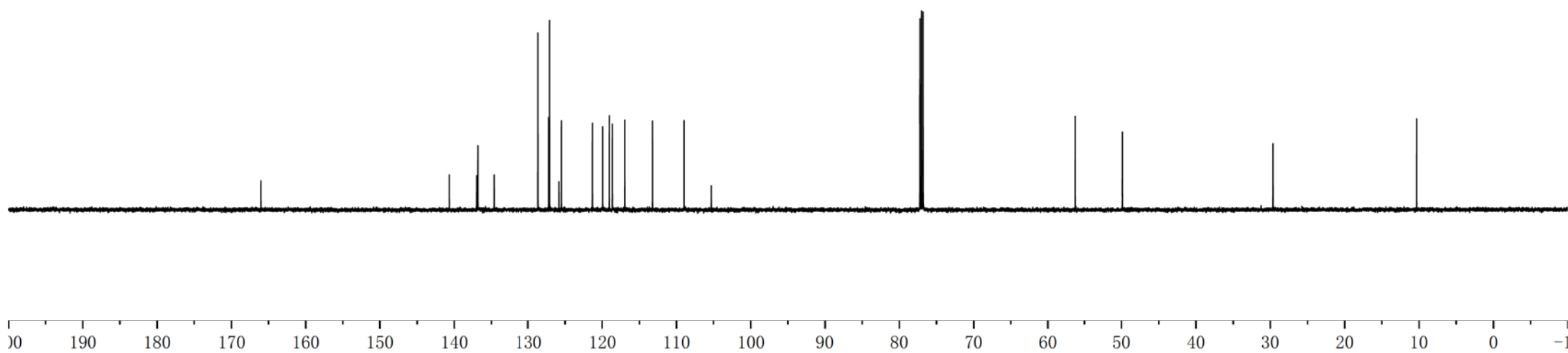
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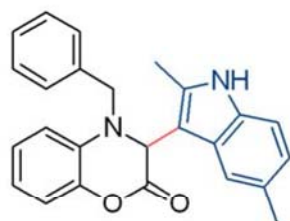
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— 29.64

— 10.33





3ay

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— 140.88

— 136.62

— 135.54

— 134.89

— 133.48

— 129.29

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— 110.21

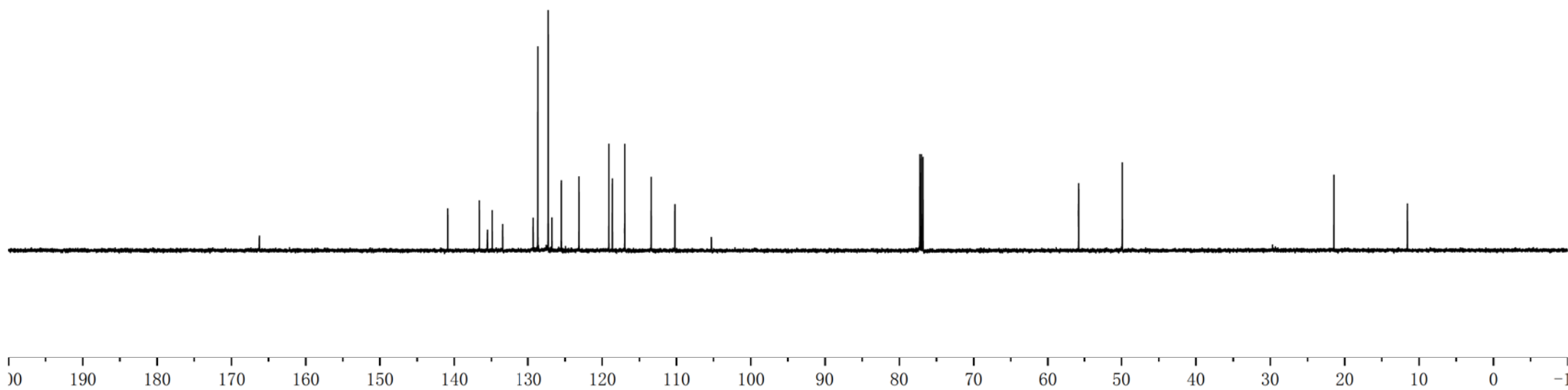
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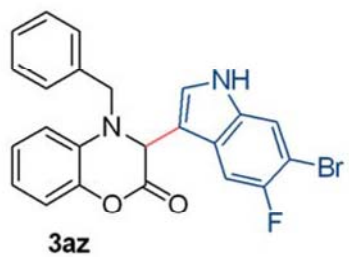
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— 49.90

— 21.45

— 11.57





— 164.44

— 141.73

— 135.64

— 133.92

— 132.69

— 128.93

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— 120.26

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— 114.03

— 109.10

— 105.31

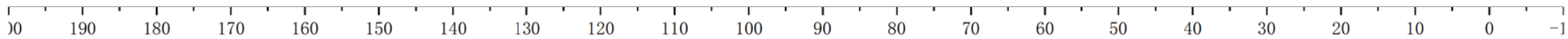
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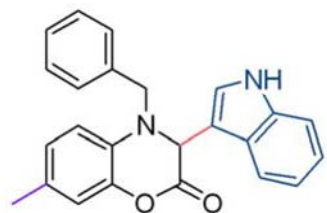
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— 104.37

— 55.51

— 51.63





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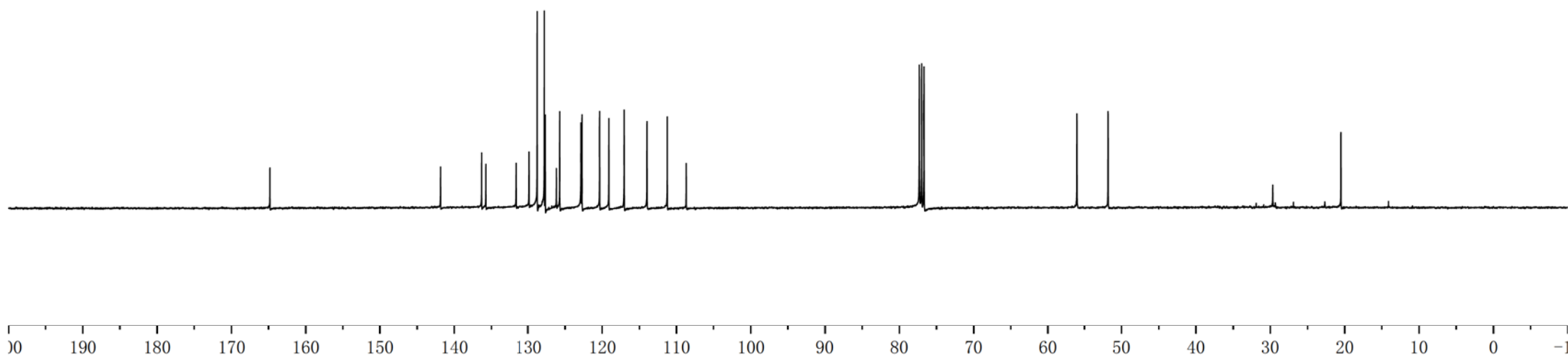
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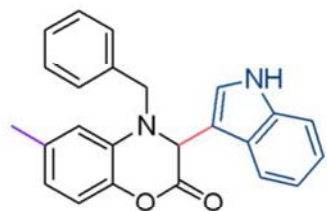
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—56.00

—51.82

—20.51





3ca

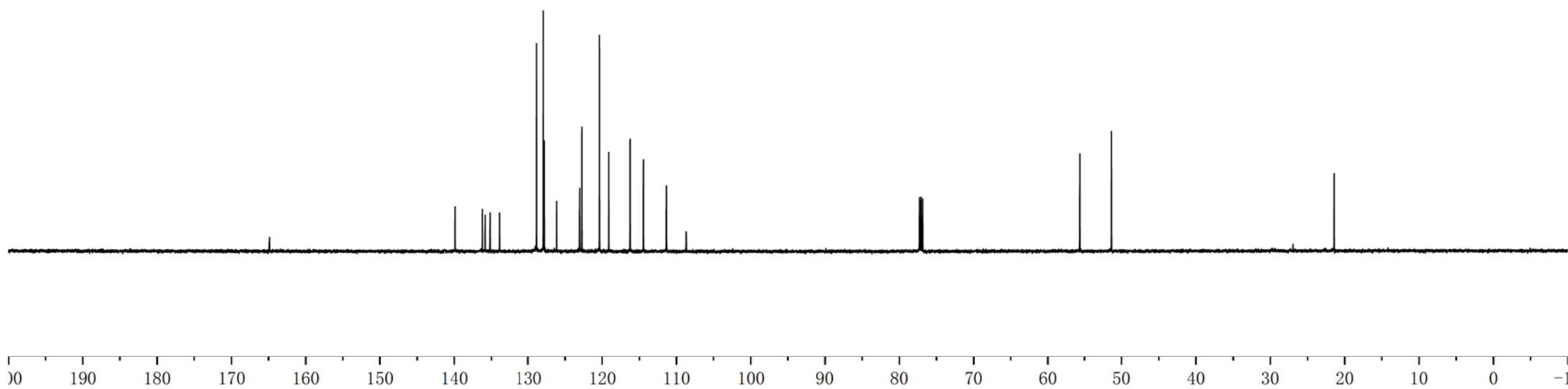
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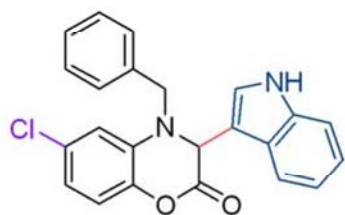
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111.34
108.69

— 55.61

— 51.36

— 21.42





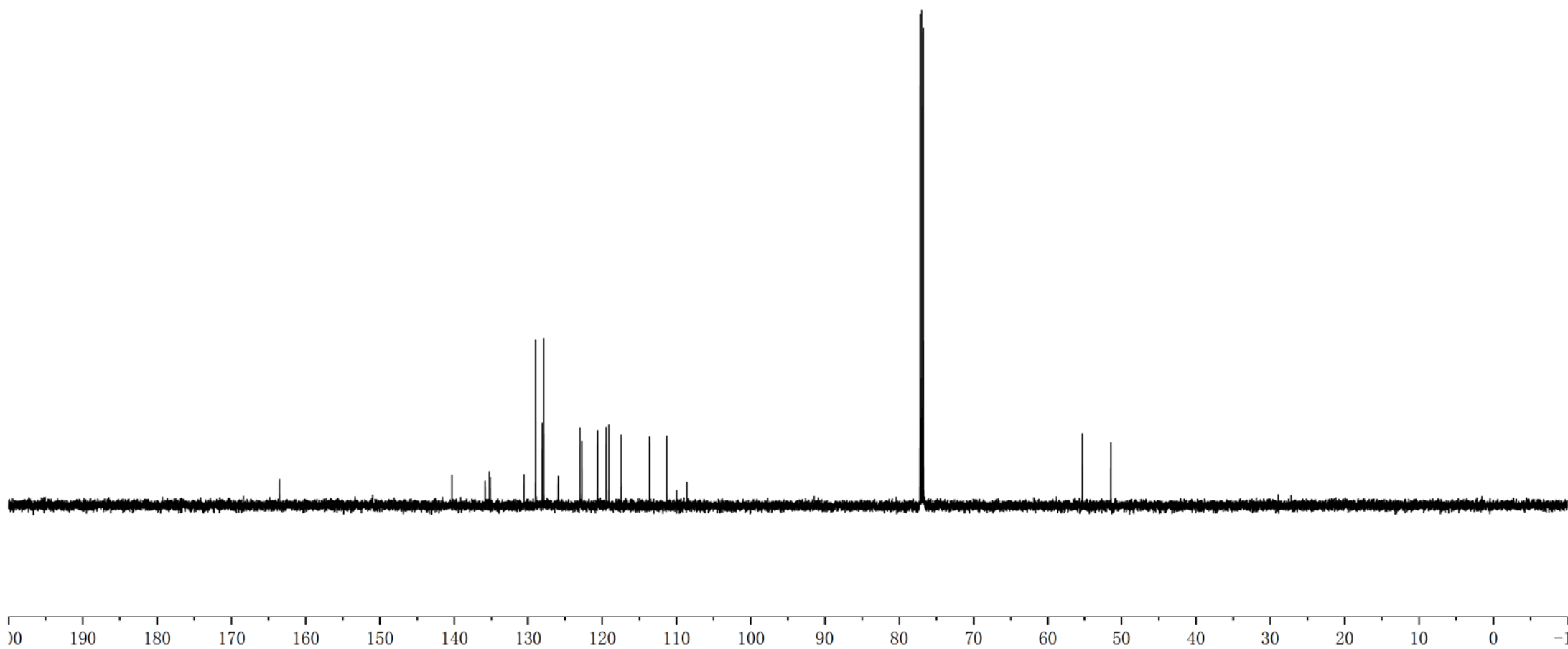
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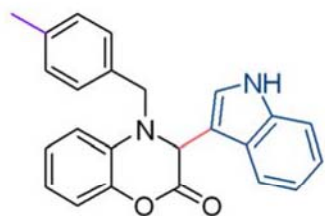
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— 55.27

— 51.44





3ea

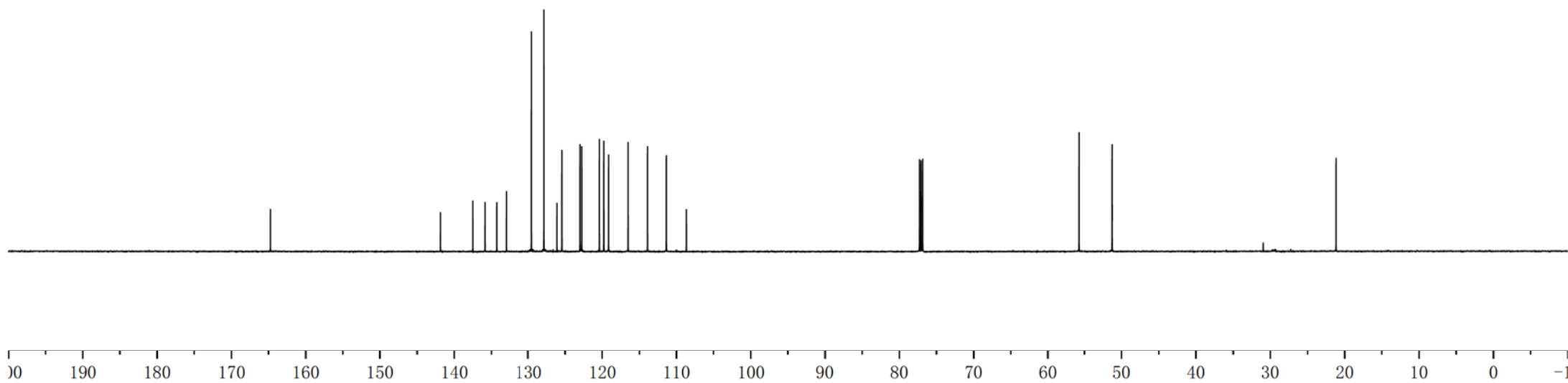
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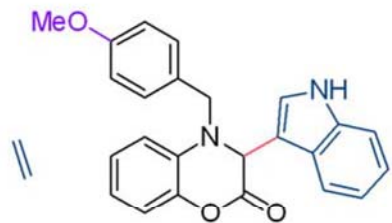
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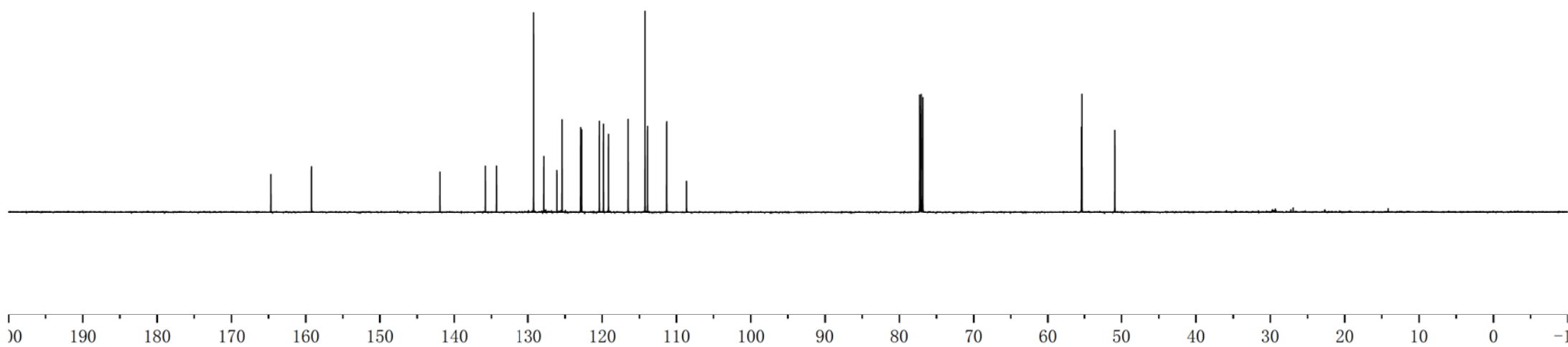




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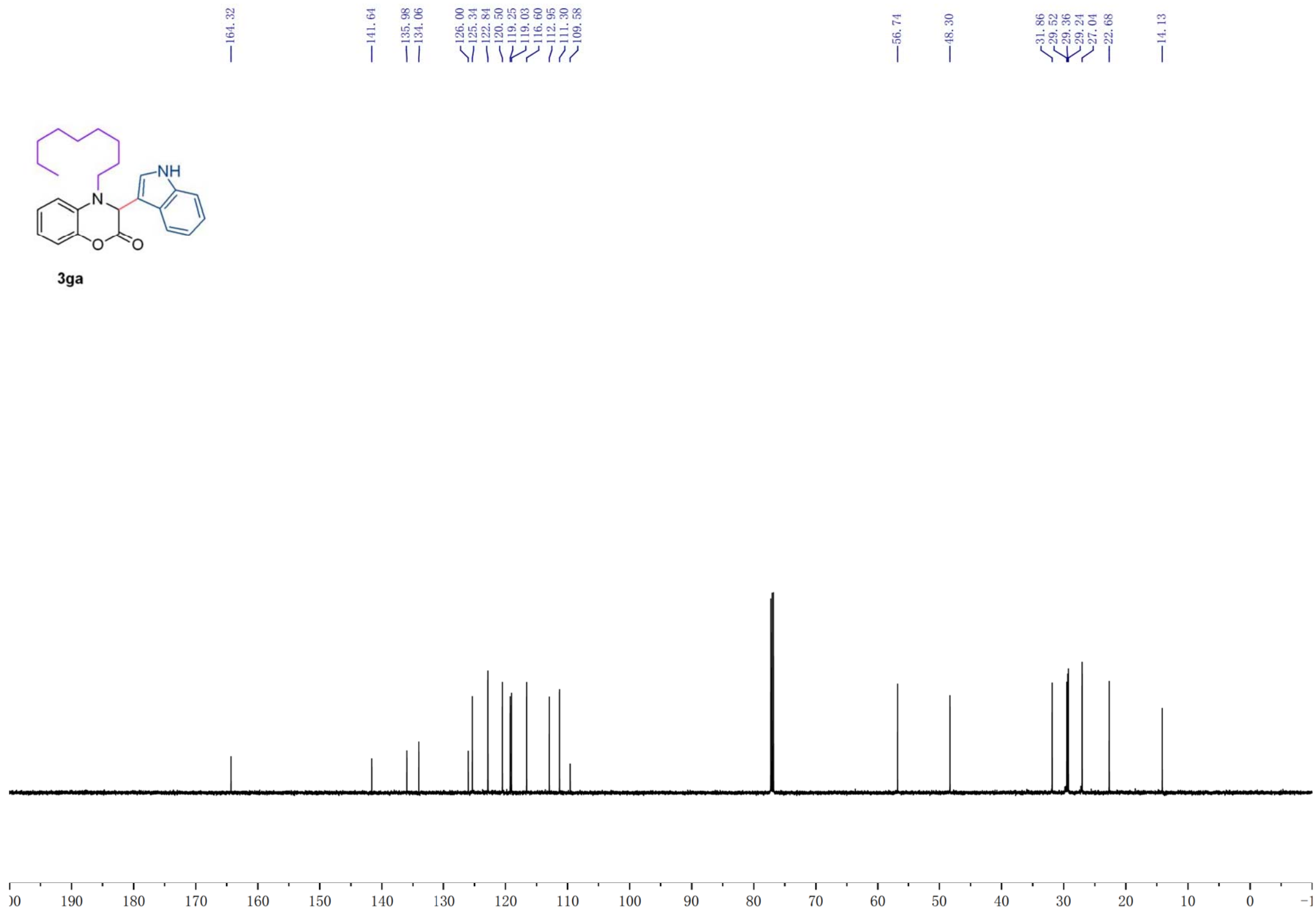
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— 108.65

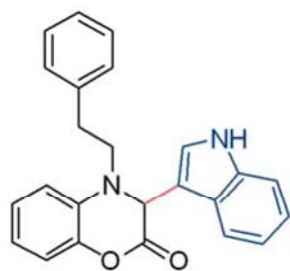
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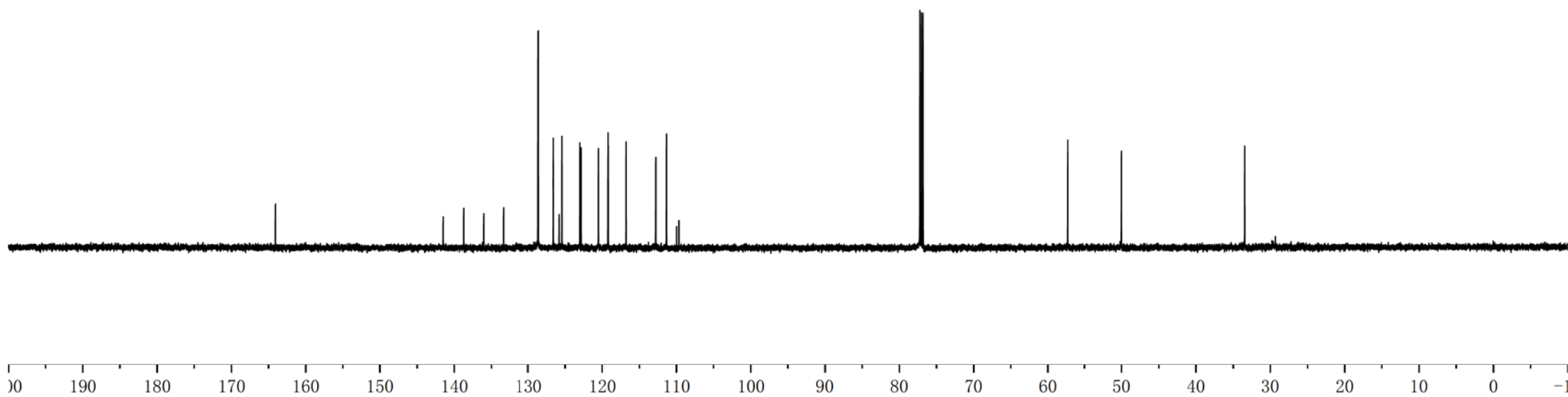
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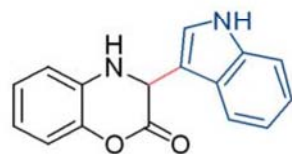
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— 57.24

— 50.02

— 33.44





4aa

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— 136.23

— 132.92

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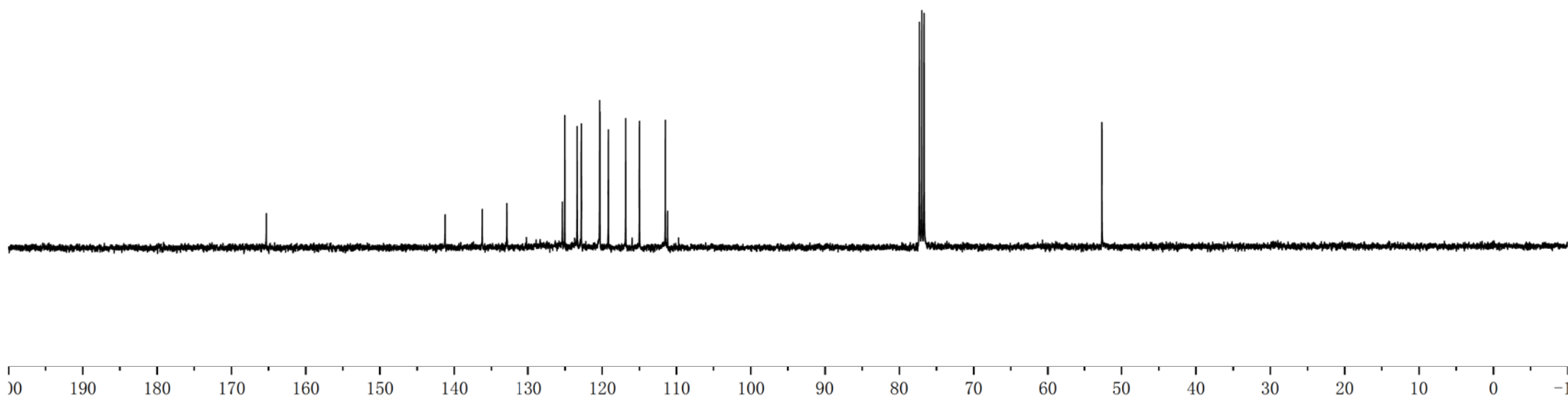
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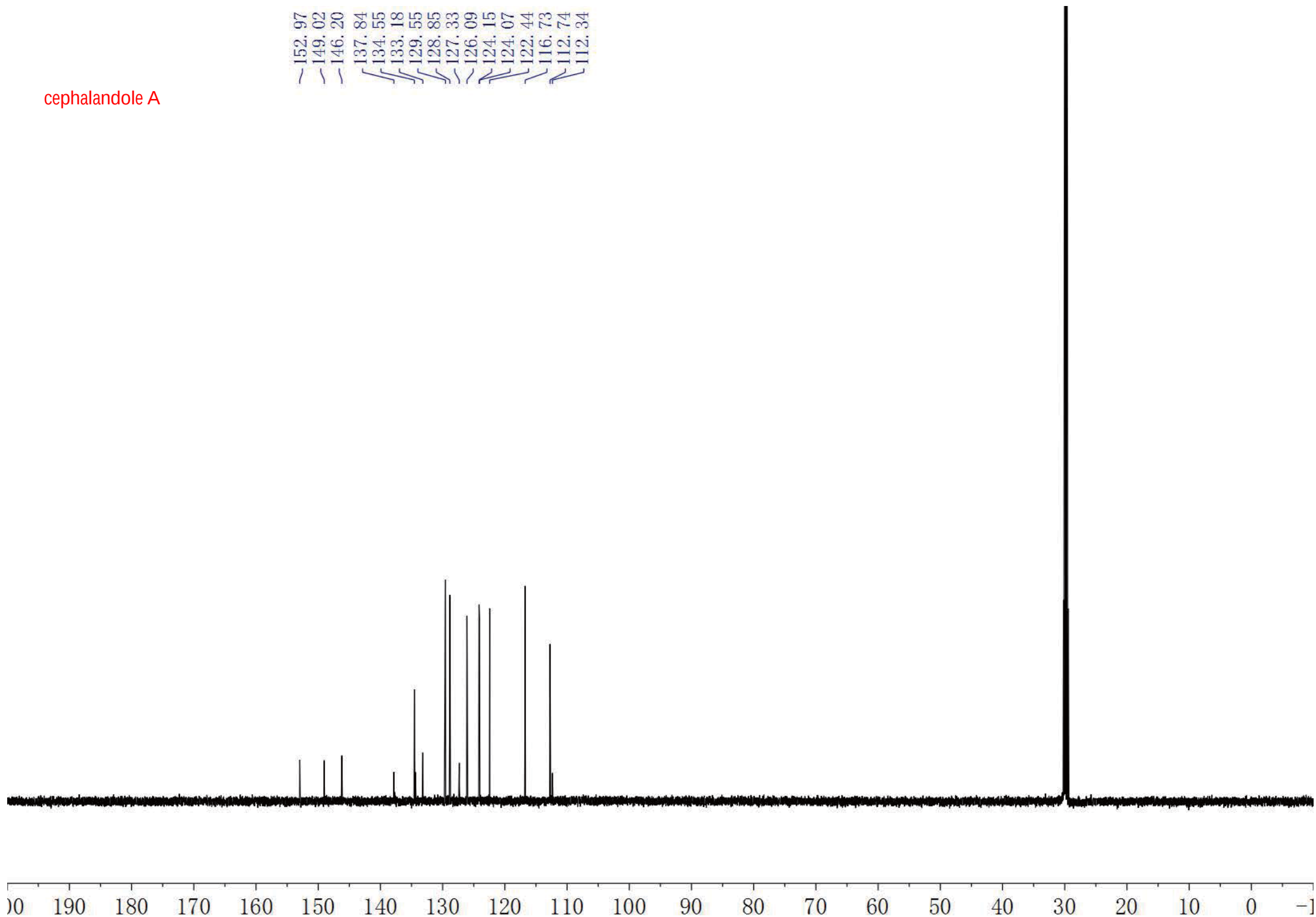
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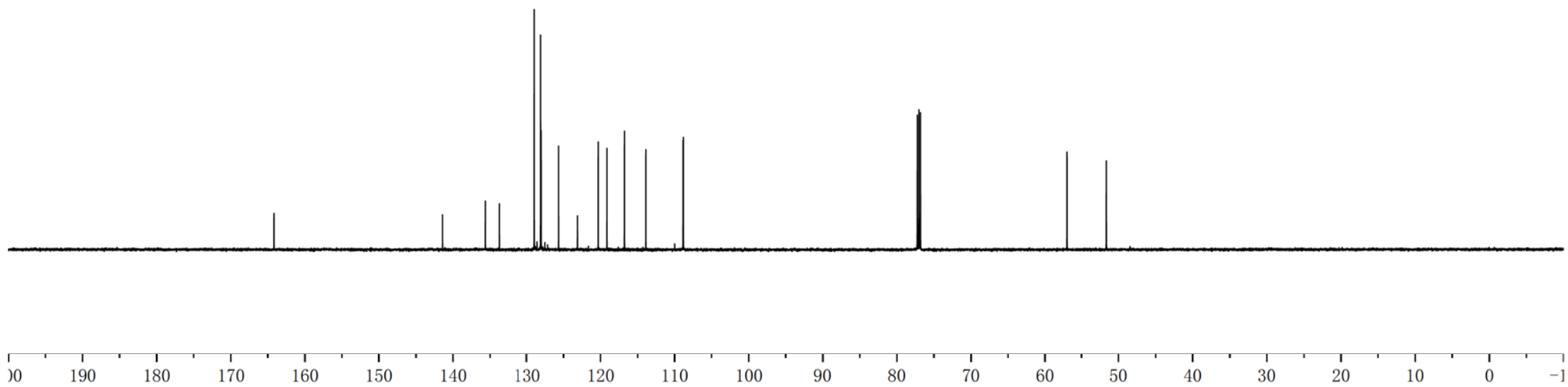
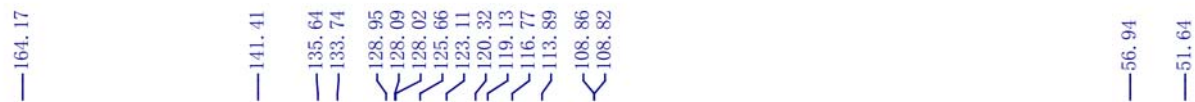
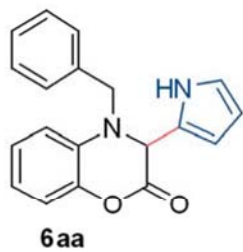
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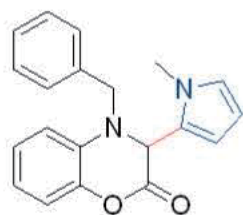


cephalandole A

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134.55
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6ab

—165.84

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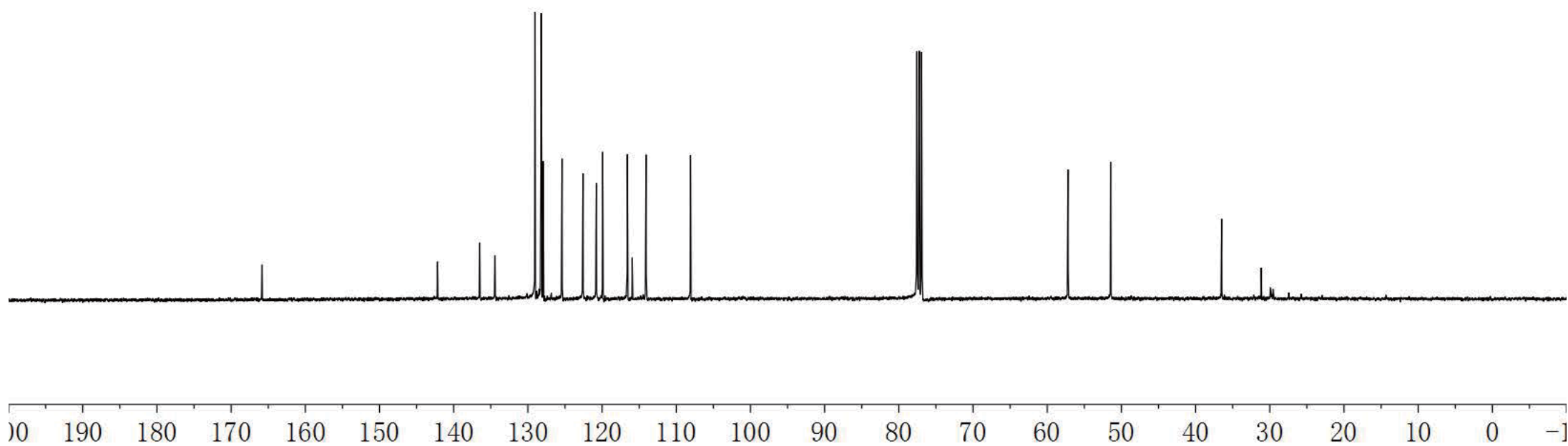
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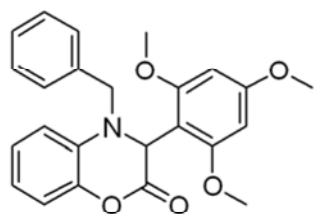
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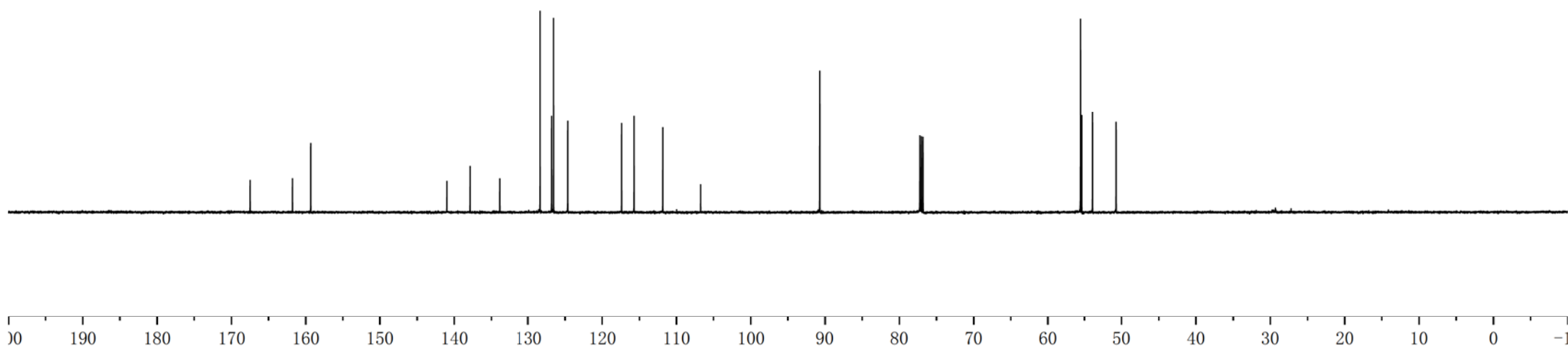
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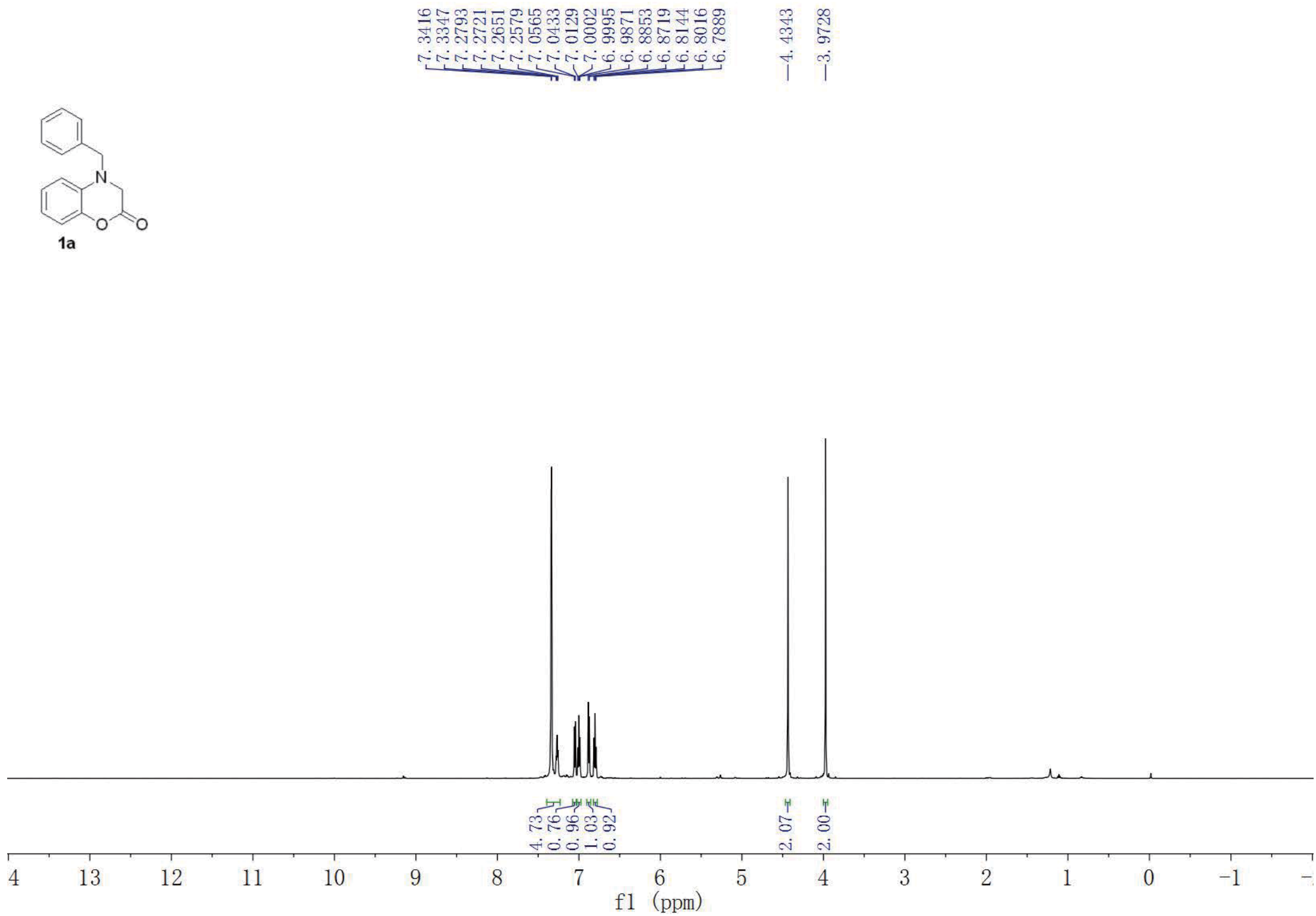
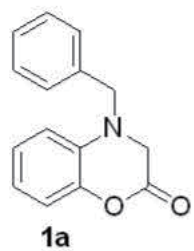
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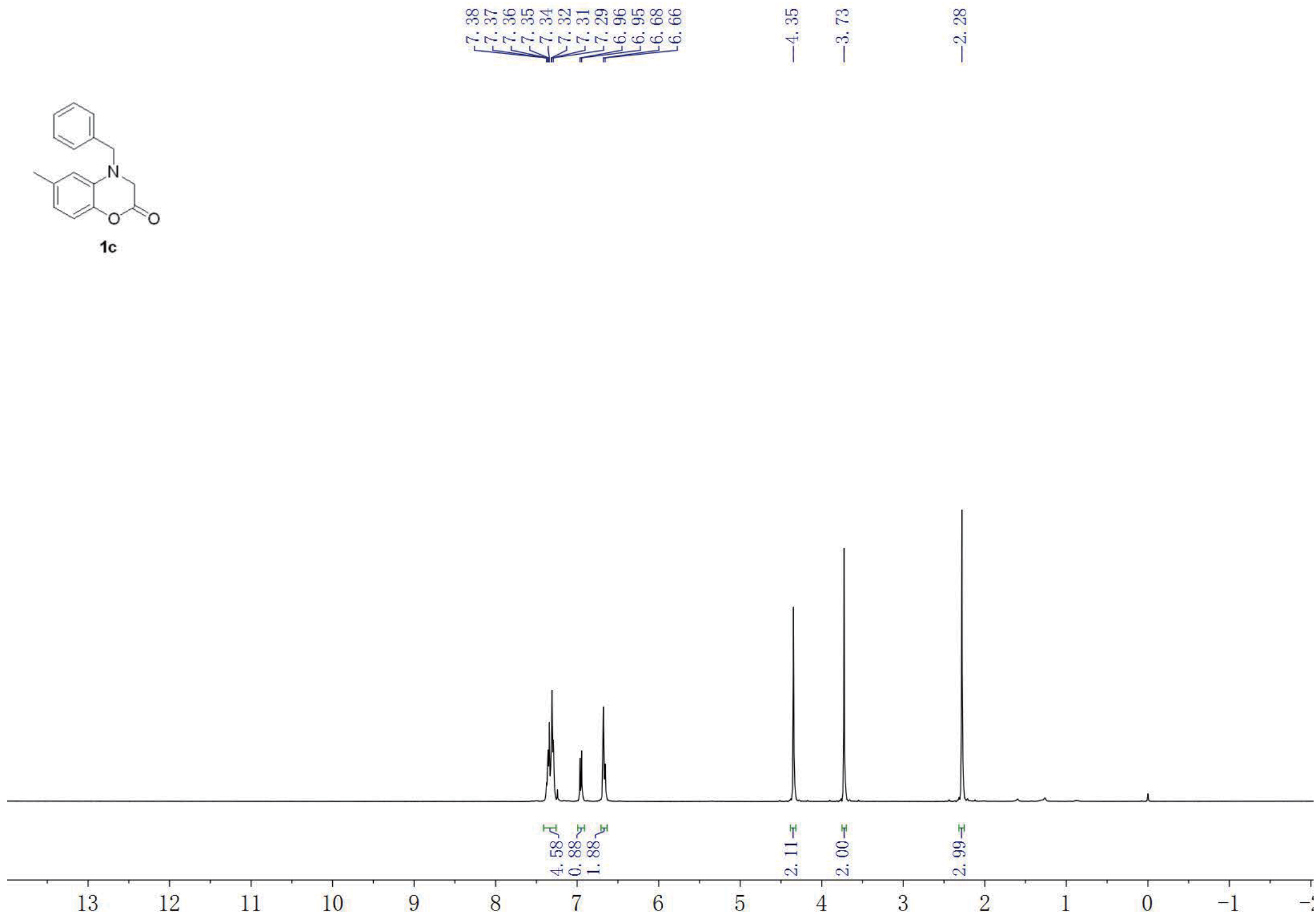
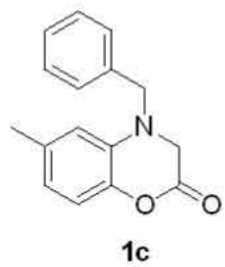
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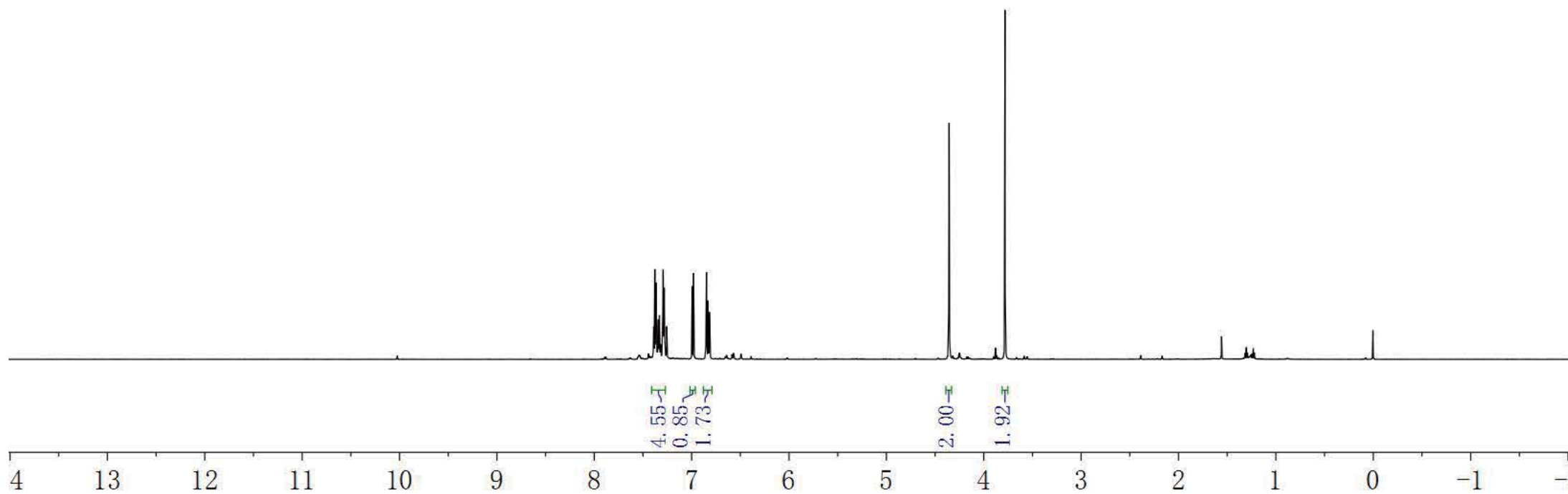
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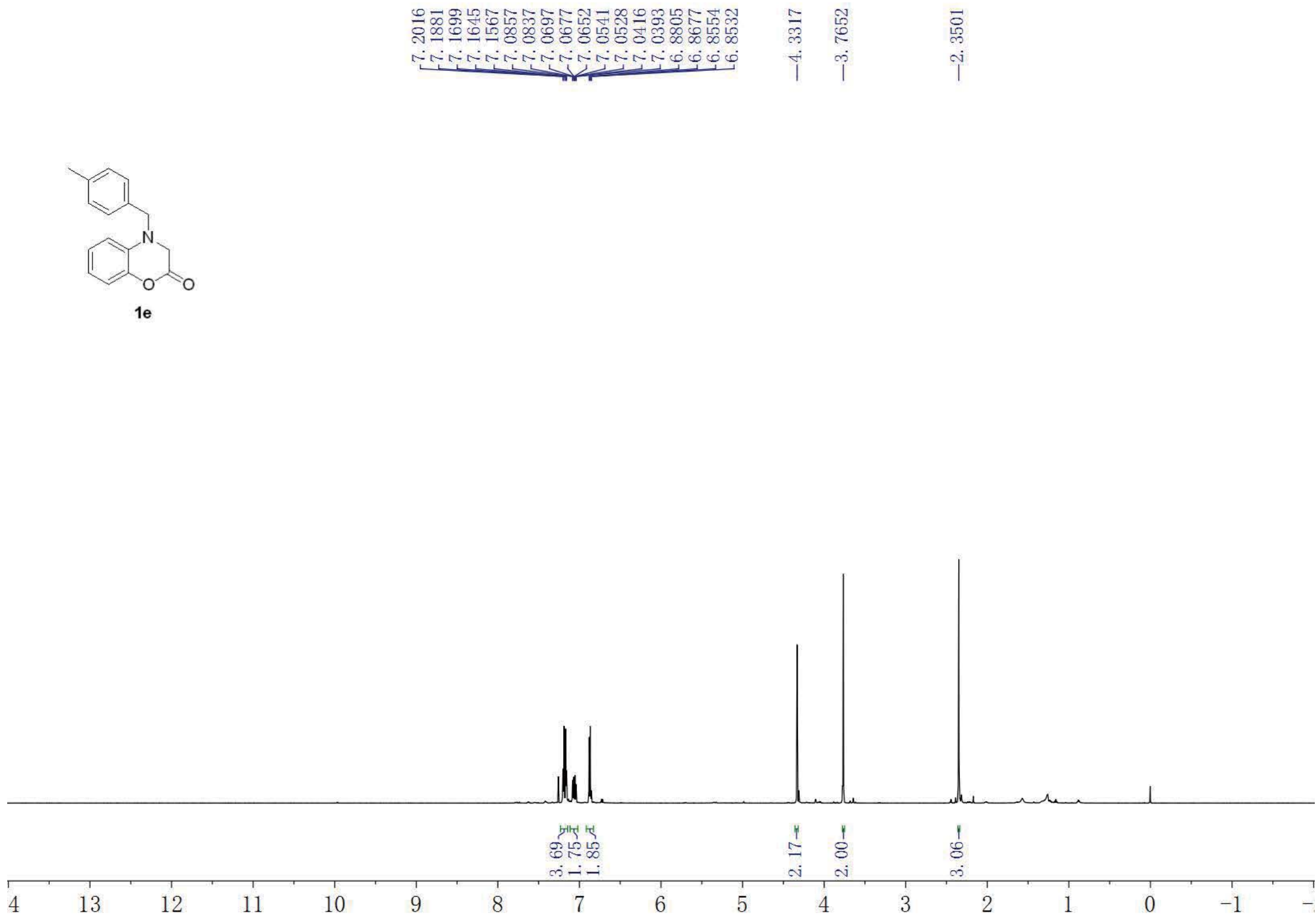
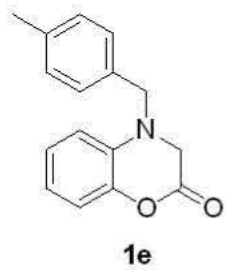
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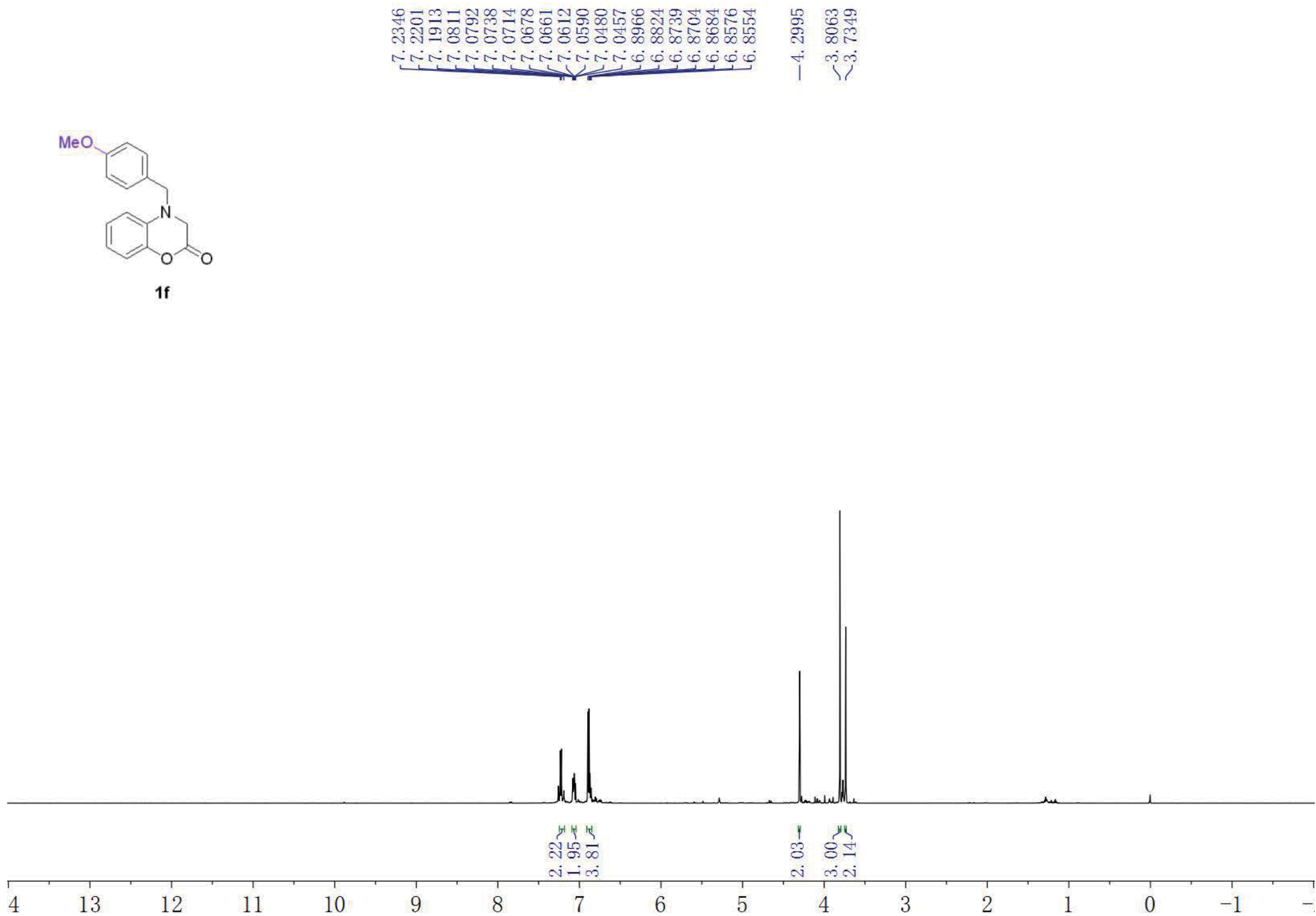


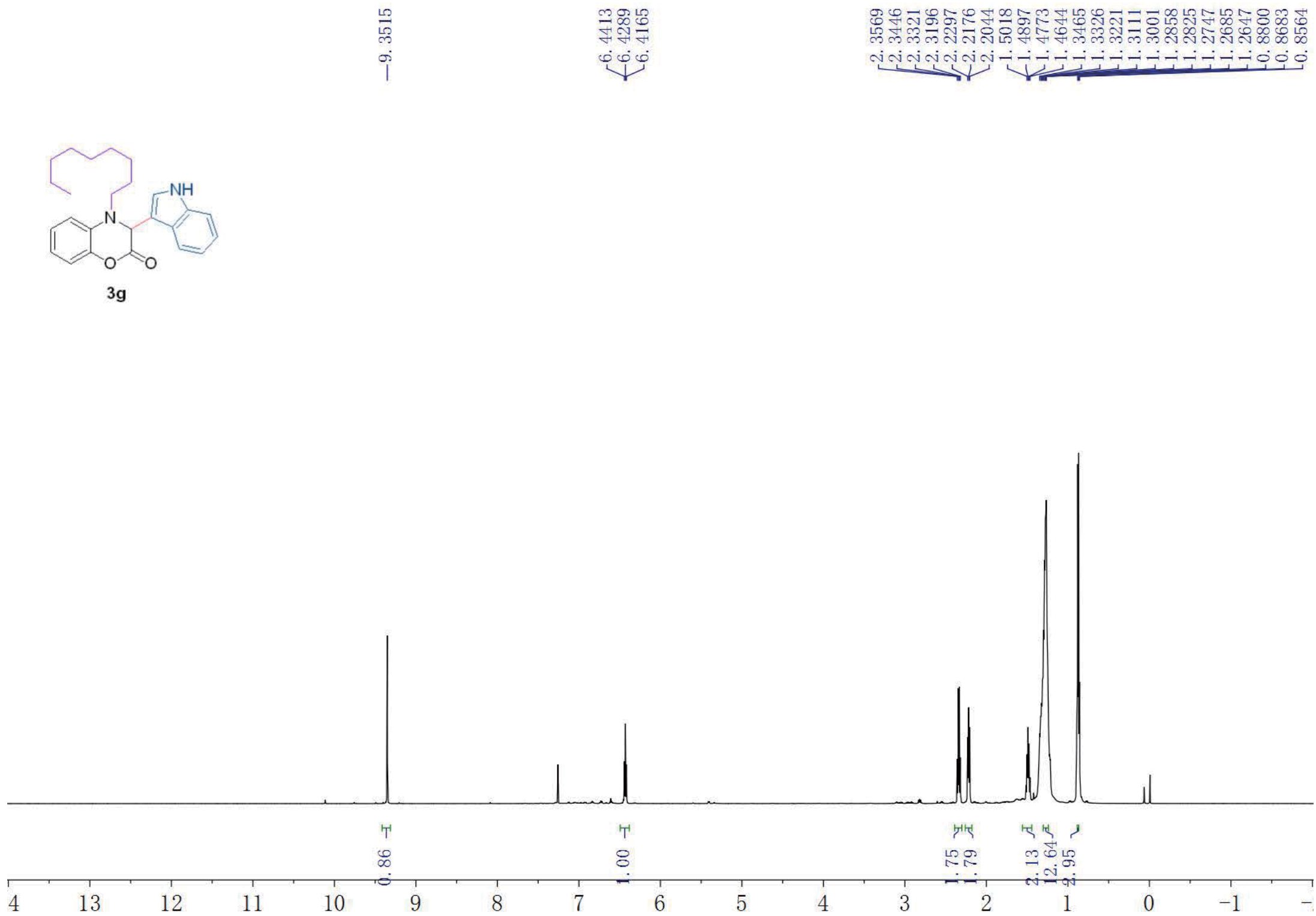


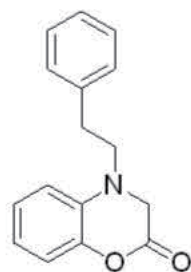












1h

