

Mild and efficient synthesis of *trans*-3-aryl-2-nitro-2,3-dihydrobenzofurans on water

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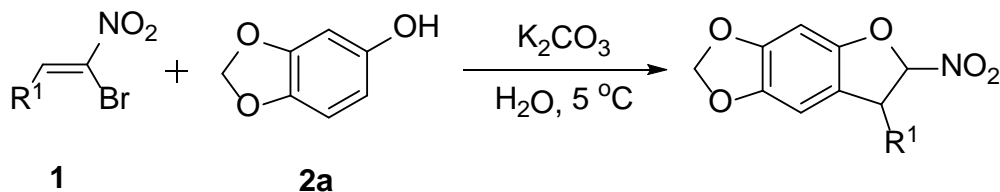
Supporting Information

1. General.....	S2
2. General procedure for the preparation of products.....	S2
3. Extra condition optimizations for the domino Friedel-Crafts/substitution reaction.....	S2
4. Substrate scope for the reaction of (<i>Z</i>)-bromonitrostyrenes 1 with phenols and naphthols 2	S4
5. Characterization of the products.....	S4
6. Copies of ¹ H NMR, ¹³ C NMR and ¹⁹ F NMR spectra for the products.....	S16
7. Synthetic Transformations.....	S49
8. Synthetic Approach.....	S50
9. Reference.....	S50

1. General

¹H NMR spectra were recorded on commercial instruments (400 MHz). The chemical shifts were recorded in ppm relative to tetramethylsilane and with the solvent resonance as the internal standard. Data were reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, br = broad), coupling constants (Hz) and integration. ¹³C NMR data were collected at 101 MHz with complete proton decoupling. Chemical shifts were reported in ppm from the tetramethylsilane with the solvent resonance as internal standard. IR spectra were obtained using a FT-IR spectrometer. ESI-HRMS was recorded on a commercial apparatus (ESI Source, TOF). Flash chromatography was performed with silica gel.

2. General procedure for the preparation of products

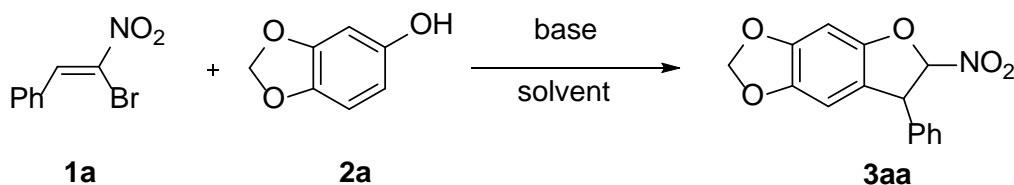


Scheme 1. The Friedel-Crafts/substitution reaction between (Z)-bromonitrostyrenes and sesamol

In a test tube, H₂O (1.0 mL) was added to the mixture of (Z)-bromonitrostyrene^[1] **1** (0.10 mmol), sesamol **2a** (0.10 mmol) and the base (K₂CO₃ or NaOH, 0.10 mmol) at 5 °C. The mixture was stirred for 48-72 hours. After simple filtration, washing with water and drying in oven at 45 °C for 12 hours, the corresponding products were obtained.

3. Extra condition optimizations for the domino Friedel-Crafts/substitution reaction

Table 1. Other reaction conditions for the domino Friedel-Crafts/substitution reaction



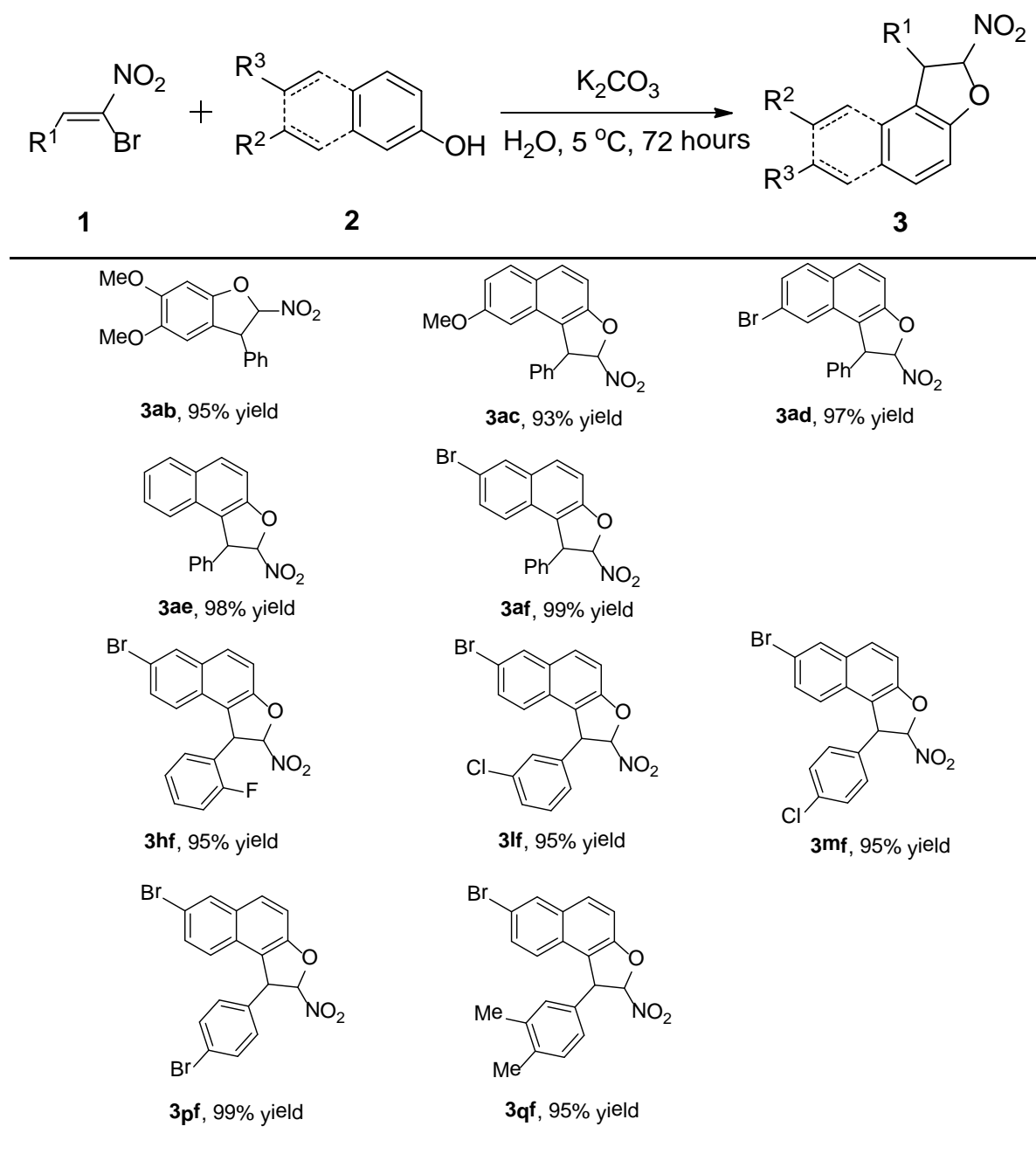
Entry ^[a]	Solvent	Base	Base (equiv.)	T [°C]	Yield [%]
1 ^[b]	CH ₂ Cl ₂	none	0	25	trace
2 ^[b]	CH ₂ Cl ₂	NaHCO ₃	0.2	25	trace
3 ^[b]	CH ₂ Cl ₂	NaOH	0.2	25	trace
4 ^[b]	CH ₂ Cl ₂	K ₂ CO ₃	0.2	25	27
5 ^[b]	CH ₂ Cl ₂	triethylamine	0.2	25	29
6 ^[b]	CH ₂ Cl ₂	pyridine	0.2	25	no reaction
7 ^[b]	CH ₂ Cl ₂	N-ethyl-diisopropylamine	0.5	25	39
8 ^[b]	CH ₂ Cl ₂	DMAP	0.5	25	no reaction
9 ^[b]	CH ₂ Cl ₂	piperidine	0.5	25	no reaction
10 ^[b]	CH ₂ Cl ₂	Ag ₂ CO ₃	0.5	25	no reaction

11 ^[b]	CH ₂ Cl ₂	(NH ₄) ₂ CO ₃	0.5	25	no reaction
12 ^[b]	CH ₂ Cl ₂	DBU	0.5	25	47
13 ^[b]	CH ₂ Cl ₂	K ₂ HPO ₄	0.5	25	55
14 ^[b]	CH ₂ Cl ₂	K ₃ PO ₄ ·7H ₂ O	0.5	25	74
15 ^[b]	CH ₂ Cl ₂	N-ethyl-diisopropylamine	0.5	0	77
16 ^[b]	CH ₂ Cl ₂	DBU	0.5	0	32
17 ^[b]	CH ₂ Cl ₂	triethylamine	0.5	0	54
18 ^[b]	CH ₂ Cl ₂	K ₂ CO ₃	0.5	0	77
19 ^[b]	CH ₂ Cl ₂	K ₃ PO ₄ ·7H ₂ O	0.5	0	31
20 ^[b]	CH ₂ Cl ₂	K ₃ PO ₄	0.5	0	58
21 ^[b]	CH ₂ Cl ₂	K ₂ HPO ₄	0.5	0	no reaction
22 ^[b]	CHCl ₃	K ₂ CO ₃	0.5	0	60
23 ^[b]	THF	K ₂ CO ₃	0.5	0	35
24 ^[b]	MeOH	K ₂ CO ₃	0.5	0	48
25 ^[b]	CH ₂ Cl ₂	K ₂ CO ₃	0.7	0	81
26 ^[b]	MTBE	K ₂ CO ₃	0.7	0	89
27 ^[b]	toluene	K ₂ CO ₃	0.7	0	24
28 ^[b]	MeOH	K ₂ CO ₃	0.7	0	45
29 ^[b]	anhydrous diethyl ether	K ₂ CO ₃	0.7	0	38
30 ^[b]	CHCl ₃	K ₂ CO ₃	0.7	0	73
31 ^[b]	THF	K ₂ CO ₃	0.7	0	41
32 ^[c]	H ₂ O	K ₂ CO ₃	0.7	5	89
33 ^{[c][d]}	H ₂ O	K ₂ CO ₃	1.0	5	99
34 ^[d]	glycerol	K ₂ CO ₃	1.0	25	61

^[a] Unless otherwise noted, the reaction was carried out with **1a** (0.10 mmol), **2a** (0.10 mmol) and base in solvent (1.0 mL) at the indicated temperature for 48 h. ^[b] The products were isolated by silica column chromatography, petroleum ether/ethyl acetate = 30/1. ^[c] The temperature is 5 °C to avoid water freezing. ^[d] The products were obtained by filtration, washing with water and drying in oven at 45 °C for 12 hours. DMAP = 4-dimethylaminopyridine, DBU = 1,8-diazabicyclo[5.4.0]undec-7-ene, THF = tetrahydrofuran, MTBE = methyl tert-butyl ether.

4 Substrate scope for the reaction of (Z)-bromonitrostyrenes **1** with phenols and naphthols **2**

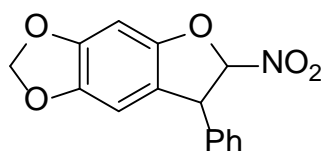
The reaction of (Z)-bromonitrostyrenes **1** with phenols and naphthols **2** was tested. As shown in Scheme 2, the reaction was carried out with **1** (0.10 mmol), **2** (0.10 mmol) and K₂CO₃ (0.10 mmol) on H₂O (1.0 mL) at 5 °C for 72 hours. The pure products were obtained by filtration, washing with water and drying in oven.



Scheme 2. Substrate scope for the reaction between (Z)-bromonitrostyrenes and phenols or naphthols

5. Characterization of the products

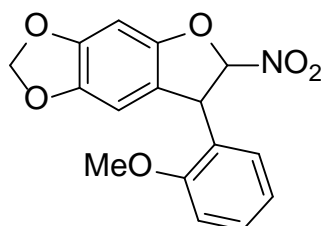
6-nitro-7-phenyl-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran **3aa**



3aa

Prepared according to **general procedure**. Light yellow solid, 99% yield. m.p. 101.7-102.4 °C. IR (thin film): ν_{\max} = 3029, 2900, 1565, 1496, 1470, 1370, 1290, 1042, 752, 696 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.44 – 7.28 (m, 3H), 7.21 – 7.11 (m, 2H), 6.73 (s, 1H), 6.57 (s, 1H), 6.07 – 5.90 (m, 3H), 4.83 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.73, 148.89, 144.27, 138.85, 129.32, 128.41, 127.35, 117.26, 112.61, 104.84, 101.96, 94.08, 55.55. MS (ESI⁺): calcd. for $\text{C}_{15}\text{H}_{11}\text{O}_3$: $[\text{M}-\text{NO}_2]^+$: 239.0703, found 239.0699.

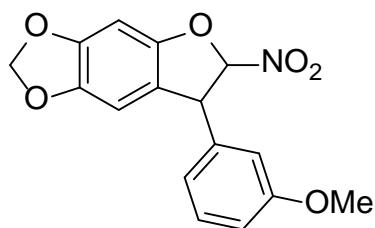
7-(2-methoxyphenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ba:



3ba

Prepared according to **general procedure**. Light yellow solid, 99% yield. m.p. 82.5-83.3 °C. IR (thin film): ν_{\max} = 3020, 2973, 2930, 2840, 1564, 1490, 1460, 1370, 1250, 1049, 838 cm^{-1} . ^1H NMR (CDCl_3 , 400 MHz): δ = 7.35 – 7.18 (m, 1H), 6.99 – 6.74 (m, 3H), 6.68 (s, 1H), 6.61 (s, 1H), 6.07 – 5.82 (m, 3H), 5.18 (br, 1H), 3.91 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ = 156.72, 153.08, 148.59, 143.92, 129.55, 127.94, 126.78, 120.81, 116.86, 112.72, 110.70, 105.11, 101.84, 93.97, 55.51, 49.28. MS (ESI⁺): calcd. for $\text{C}_{16}\text{H}_{13}\text{O}_4$: $[\text{M}-\text{NO}_2]^+$: 269.0808, found 269.0804.

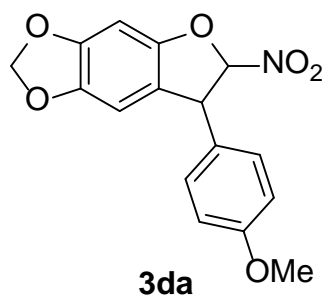
7-(3-methoxyphenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ca



3ca

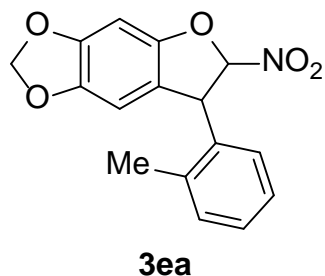
Prepared according to **general procedure**. Light yellow solid, 90% yield. m.p. 111.6-112.0 °C. IR (thin film): ν_{\max} = 3050, 2960, 2893, 2835, 1573, 1488, 1460, 1365, 1254, 760, 702 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.35 – 7.21 (m, 1H), 6.89 – 6.81 (m, 1H), 6.78 – 6.70 (m, 2H), 6.69 – 6.65 (m, 1H), 6.57 (s, 1H), 6.07 – 5.87 (m, 3H), 4.79 (br, 1H), 3.79 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ = 160.22, 152.72, 148.90, 144.25, 140.35, 130.41, 119.55, 117.06, 113.35, 113.31, 112.53, 104.84, 101.96, 94.08, 55.47, 55.34. MS (ESI⁺): calcd. for $\text{C}_{16}\text{H}_{13}\text{O}_4$: $[\text{M}-\text{NO}_2]^+$: 269.0808, found 269.0812.

7-(4-methoxyphenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3da



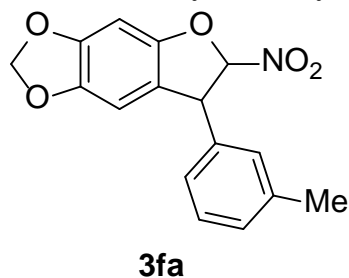
Prepared according to **general procedure**. Light yellow solid, 98% yield. m.p. 107.6-108.2 °C. IR (thin film): ν_{\max} = 3025, 2920, 1582, 1493, 1466, 1240, 1030, 852 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 7.06 (d, J = 8.6 Hz, 2H), 6.87 (d, J = 8.7 Hz, 2H), 6.71 (s, 1H), 6.55 (s, 1H), 6.02 – 5.93 (m, 2H), 5.91 (d, J = 1.5 Hz, 1H), 4.77 (br, 1H), 3.80 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 159.61, 152.64, 148.80, 144.24, 130.93, 128.49, 117.57, 114.62, 112.86, 104.78, 101.91, 94.01, 55.38, 54.96. MS (ESI⁺): calcd. for $\text{C}_{16}\text{H}_{13}\text{O}_4$: $[\text{M}-\text{NO}_2]^+$: 269.0808, found 269.0803.

6-nitro-7-(o-tolyl)-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ea



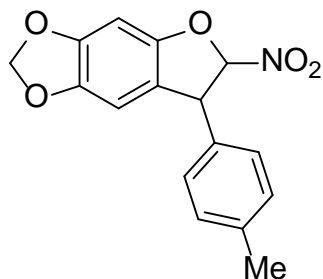
Prepared according to **general procedure**. Light yellow solid, 90% yield. m.p. 133.8-134.6 °C. IR (thin film): ν_{\max} = 3025, 2953, 2853, 1570, 1502, 1460, 1294, 1232, 1038, 753 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.32 – 7.17 (m, 2H), 7.15 – 7.04 (m, 1H), 6.74 (s, 1H), 6.69 – 6.59 (m, 1H), 6.54 (s, 1H), 5.99 (dd, J = 5.3, 1.2, 2H), 5.88 (d, J = 1.4, 1H), 5.05 (br, 1H), 2.58 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.99, 148.82, 144.36, 136.92, 136.03, 131.07, 128.26, 127.36, 126.83, 117.60, 112.36, 104.77, 101.95, 94.06, 51.91, 19.96. MS (ESI⁺): calcd. for $\text{C}_{16}\text{H}_{13}\text{O}_3$: $[\text{M}-\text{NO}_2]^+$: 253.0859, found 253.0854.

6-nitro-7-(m-tolyl)-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3fa



Prepared according to **general procedure**. Light yellow solid, 88% yield, m.p. 97.6-98.6 °C. IR (thin film): ν_{\max} = 3013, 2951, 2902, 1565, 1501, 1480, 1460, 1375, 1297, 1246, 1036, 770, 697 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.30 – 7.20 (m, 1H), 7.18 – 7.07 (m, 1H), 6.99 – 6.87 (m, 2H), 6.73 (s, 1H), 6.56 (s, 1H), 6.05 – 5.88 (m, 3H), 4.78 (br, 1H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.69, 148.82, 144.23, 139.19, 138.80, 129.18, 129.14, 127.94, 124.35, 117.39, 112.71, 104.84, 101.92, 94.06, 55.54, 21.40. MS (ESI⁺): calcd. for $\text{C}_{16}\text{H}_{13}\text{O}_3$: $[\text{M}-\text{NO}_2]^+$: 253.0859, found 253.0855.

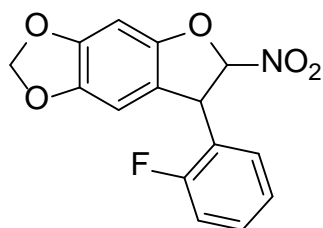
6-nitro-7-(p-tolyl)-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ga



3ga

Prepared according to **general procedure**. Light yellow solid, 98% yield, m.p. 80.0-81.0 °C. IR (thin film): ν_{\max} = 3024, 2922, 2860, 1573, 1487, 1460, 1373, 1303, 1235, 1041, 824 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.17 (d, J = 7.9, 2H), 7.04 (d, J = 8.0, 2H), 6.72 (s, 1H), 6.56 (s, 1H), 6.07 – 5.83 (m, 3H), 4.79 (br, 1H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.67, 148.80, 144.23, 138.27, 135.92, 129.95, 127.21, 117.48, 112.79, 104.81, 101.92, 94.04, 55.27, 21.09. MS (ESI⁺): calcd. for $\text{C}_{16}\text{H}_{13}\text{O}_3$: $[\text{M}-\text{NO}_2]^+$: 253.0859, found 253.0855.

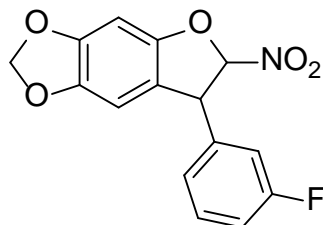
7-(2-fluorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ha



3ha

Prepared according to **general procedure**. Light yellow solid, 95% yield, m.p. 97.1-97.8 °C, IR (thin film): ν_{\max} = 3023, 2863, 1570, 1495, 1290, 1457, 1236, 1032, 751 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.40 – 7.27 (m, 1H), 7.19 – 7.03 (m, 2H), 6.94 – 6.81 (m, 1H), 6.72 (s, 1H), 6.58 (s, 1H), 6.09 – 5.92 (m, 3H), 5.15 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 160.14 (J = 249.17 Hz), 152.78, 149.03, 144.31, 130.21 (J = 8.24 Hz), 128.64 (J = 3.28 Hz), 125.79 (J = 14.14 Hz), 124.85 (J = 3.72 Hz), 116.16 (J = 4.48 Hz), 115.92, 111.67, 104.71, 102.00, 94.17, 48.53. ^{19}F NMR (376 MHz, CDCl_3): δ = -117.13 (s, 1F). MS (ESI⁺): calcd. for $\text{C}_{15}\text{H}_{10}\text{FO}_3$: $[\text{M}-\text{NO}_2]^+$: 257.0608, found 257.0605.

7-(3-fluorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ia

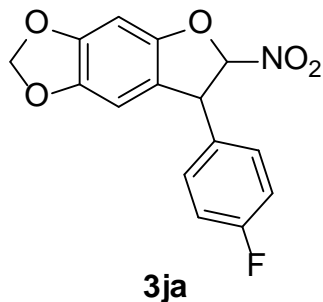


3ia

Prepared according to **general procedure**. White solid, 95% yield. m.p. 127.8-128.2 °C. IR (thin film): ν_{\max} = 3046, 2917, 1593, 1520, 1460, 1294, 1242, 1045, 795, 688 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.39 – 7.31 (m, 1H),

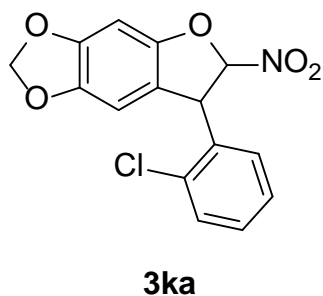
7.07 – 6.97 (m, 2H), 6.86 – 6.80 (m, 1H), 6.73 (s, 1H), 6.57 (s, 1H), 5.99 (dd, $J = 5.2, 1.3$, 2H), 5.94 (d, $J = 1.7$, 1H), 4.83 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) $\delta = 163.23$ ($J = 249.05$ Hz), 152.79, 149.15, 144.42, 141.16 ($J = 6.95$ Hz), 130.97 ($J = 8.33$ Hz), 123.13 ($J = 2.99$ Hz), 116.46, 115.48 ($J = 21.25$ Hz), 114.43 ($J = 22.46$ Hz), 112.18, 104.71, 102.05, 94.21, 55.09. ^{19}F NMR (376 MHz, CDCl_3): $\delta = -111.26$ (s, 1F). MS (ESI $^+$): calcd. for $\text{C}_{15}\text{H}_{10}\text{FO}_3$: $[\text{M}-\text{NO}_2]^+$: 257.0608, found 257.0605.

7-(4-fluorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ja



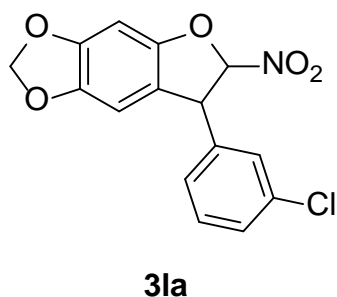
Prepared according to **general procedure**. Light yellow solid, 98% yield, m.p. 148.6-149.5 °C. IR (thin film): $\nu_{\text{max}} = 3013, 2920, 1564, 1479, 1452, 1293, 1237, 1040, 834$ cm^{-1} . ^1H NMR (400 MHz, CDCl_3) $\delta = 7.19 - 6.96$ (m, 4H), 6.73 (s, 1H), 6.55 (s, 1H), 5.98 (dd, $J = 5.2, 1.1$, 2H), 5.91 (d, $J = 1.6$, 1H), 4.82 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) $\delta = 162.62$ ($J = 248.60$ Hz), 152.69, 149.04, 144.39, 134.61 ($J = 3.22$ Hz), 129.10 ($J = 8.34$ Hz), 117.00, 116.26 ($J = 21.83$ Hz), 112.46, 104.69, 102.01, 94.14, 54.82. ^{19}F NMR (376 MHz, CDCl_3): $\delta = -113.34$ (s, 1F). MS (ESI $^+$): calcd. for $\text{C}_{15}\text{H}_{10}\text{FO}_3$: $[\text{M}-\text{NO}_2]^+$: 257.0608, found 257.0612.

7-(2-chlorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ka



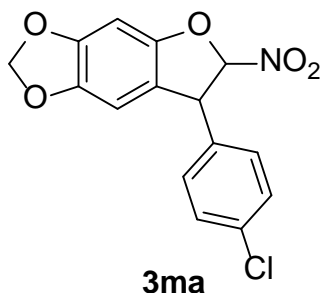
Prepared according to **general procedure**. Light yellow solid, 99% yield, m.p. 110.0-110.8 °C. IR (thin film): $\nu_{\text{max}} = 3017, 2913, 1566, 1479, 1462, 1300, 1250, 1037, 753$ cm^{-1} . ^1H NMR (400 MHz, CDCl_3) $\delta = 7.53 - 7.41$ (m, 1H), 7.33 – 7.12 (m, 2H), 6.87 – 6.76 (m, 1H), 6.72 (s, 1H), 6.61 (s, 1H), 6.04 – 5.91 (m, 3H), 5.37 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) $\delta = 152.97, 149.06, 144.36, 136.17, 133.47, 130.16, 129.66, 128.80, 127.63, 116.76, 111.86, 104.78, 102.02, 94.20, 51.64$. MS (ESI $^+$): calcd. for $\text{C}_{15}\text{H}_{10}^{34.9689}\text{ClO}_3$: $[\text{M}-\text{NO}_2]^+$: 273.0313, found 273.0309. MS (ESI $^+$): calcd. for $\text{C}_{15}\text{H}_{10}^{36.9659}\text{ClO}_3$: $[\text{M}-\text{NO}_2]^+$: 275.0289, found 275.0278.

7-(3-chlorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3la



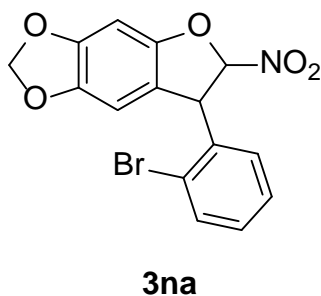
Prepared according to **general procedure**. Light yellow solid, 95% yield, m.p. 137.0-137.7 °C, IR (thin film): ν_{\max} = 3007, 2917, 1562, 1474, 1468, 1305, 1232, 1041, 824 cm^{-1} , ^1H NMR (400 MHz, CDCl_3) δ = 7.37 – 7.24 (m, 2H), 7.17 – 6.97 (m, 2H), 6.73 (s, 1H), 6.56 (s, 1H), 6.00 (dd, J = 7.0, 1.2, 2H), 5.93 (d, J = 1.6, 1H), 4.80 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.78, 149.18, 144.45, 140.70, 135.27, 130.62, 128.70, 127.50, 125.65, 116.39, 112.13, 104.68, 102.06, 94.23, 55.07. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{34.9689}\text{ClO}_3$: $[\text{M}-\text{NO}_2]^+$: 273.0313, found 273.0321. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{36.9659}\text{ClO}_3$: $[\text{M}-\text{NO}_2]^+$: 275.0289, found 275.0291.

7-(4-chlorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ma



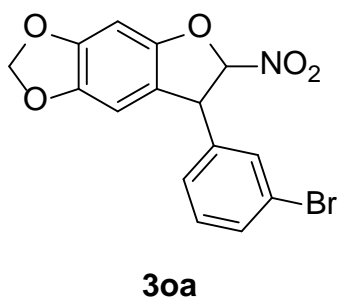
Prepared according to **general procedure**. Light yellow solid, 98% yield, m.p. 116.5-117.2 °C. IR (thin film): ν_{\max} = 3049, 2920, 2850, 1569, 1293, 1477, 1460, 1245, 836. ^1H NMR (400 MHz, CDCl_3) δ = 7.34 (d, J = 8.4, 2H), 7.09 (d, J = 8.4, 2H), 6.73 (s, 1H), 6.55 (s, 1H), 6.05 – 5.94 (m, 2H), 5.90 (d, J = 1.5, 1H), 4.81 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.73, 149.10, 144.42, 137.26, 134.44, 129.50, 128.76, 116.69, 112.25, 104.66, 102.04, 94.18, 54.90. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{34.9689}\text{ClO}_3$: $[\text{M}-\text{NO}_2]^+$: 273.0313, found 273.0309. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{36.9659}\text{ClO}_3$: $[\text{M}-\text{NO}_2]^+$: 275.0289, found 275.0279.

7-(2-bromophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3na



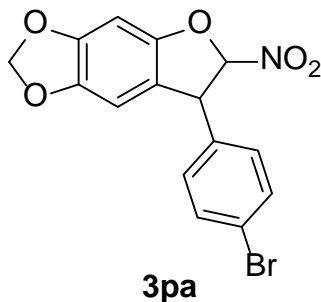
Prepared according to **general procedure**. Light yellow solid, 88% yield, m.p. 119.4-120.4 °C, IR (thin film): ν_{\max} = 3010, 2910, 1567, 1536, 1459, 1294, 1251, 1035, 751 cm^{-1} , ^1H NMR (400 MHz, CDCl_3) δ = 7.74 – 7.60 (m, 1H), 7.31 – 7.09 (m, 2H), 6.83 – 6.75 (m, 1H), 6.72 (s, 1H), 6.62 (s, 1H), 6.10 – 5.85 (m, 3H), 5.39 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.92, 149.07, 144.37, 137.99, 133.48, 129.88, 128.93, 128.29, 123.71, 117.19, 112.02, 104.74, 102.00, 94.20, 53.95. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{78.9183}\text{BrO}_3$: $[\text{M}-\text{NO}_2]^+$: 316.9808, found 316.9803. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{80.9163}\text{BrO}_3$: $[\text{M}-\text{NO}_2]^+$: 318.9793, found 318.9782.

7-(3-bromophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3oa



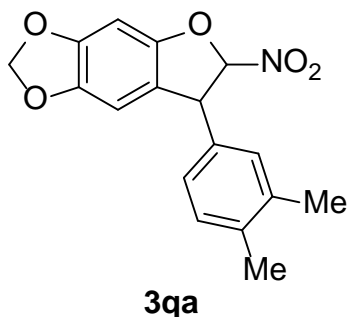
Prepared according to **general procedure**. Light yellow solid, 92% yield, m.p. 95.1-95.6 °C, IR (thin film): ν_{\max} = 3014, 2900, 1565, 1478, 1462, 1293, 1040, 806, 703 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ = 7.50 – 7.44 (m, 1H), 7.31 – 7.21 (m, 2H), 7.14 – 7.08 (m, 1H), 6.73 (s, 1H), 6.56 (s, 1H), 6.02 – 5.96 (m, 2H), 5.92 (d, J = 1.6, 1H), 4.79 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.77, 149.18, 144.46, 140.95, 131.65, 130.89, 130.39, 126.13, 123.41, 116.38, 112.12, 104.67, 102.07, 94.24, 55.03. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{78,9183}\text{BrO}_3$: $[\text{M}-\text{NO}_2]^+$: 316.9808, found 316.9804. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{80,9163}\text{BrO}_3$: $[\text{M}-\text{NO}_2]^+$: 318.9793, found 318.9782.

7-(4-bromophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3pa



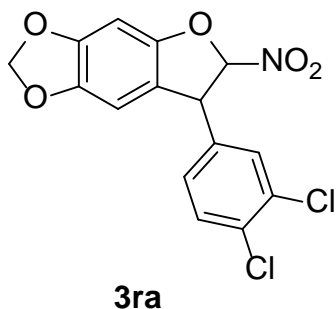
Prepared according to **general procedure**. Light yellow solid, 96% yield. m.p. 126.0-126.4 °C. IR (thin film): ν_{\max} = 3040, 2912, 2854, 1579, 1499, 1463, 1300, 1244, 1043, 860. ^1H NMR (400 MHz, CDCl_3) δ = 7.49 (d, J = 8.4, 2H), 7.03 (d, J = 8.4, 2H), 6.72 (s, 1H), 6.54 (s, 1H), 6.04 – 5.94 (m, 2H), 5.90 (d, J = 1.4, 1H), 4.79 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.74, 149.11, 144.42, 137.79, 132.47, 129.08, 122.53, 116.62, 112.16, 104.65, 102.04, 94.18, 54.96. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{78,9183}\text{BrO}_3$: $[\text{M}-\text{NO}_2]^+$: 316.9808, found 316.9804. MS (ESI^+): calcd. for $\text{C}_{15}\text{H}_{10}^{80,9163}\text{BrO}_3$: $[\text{M}-\text{NO}_2]^+$: 318.9793, found 318.9783.

7-(3,4-dimethylphenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3qa



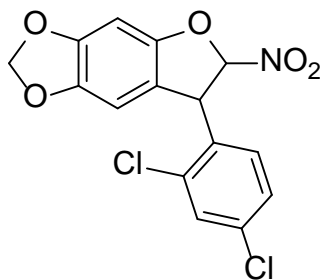
Prepared according to **general procedure**. Light yellow solid, 90% yield, m.p. 125.2-125.9 °C. IR (thin film): ν_{\max} = 3020, 2925, 2860, 1570, 1470, 1372, 1293, 882, 826, 705. ^1H NMR (400 MHz, CDCl_3) δ = 7.16 – 7.06 (m, 1H), 6.96 – 6.79 (m, 2H), 6.72 (s, 1H), 6.55 (s, 1H), 5.98 (dd, J = 7.0, 1.2, 2H), 5.94 (d, J = 1.7, 1H), 4.75 (br, 1H), 2.25 (s, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.64, 148.74, 144.19, 137.71, 136.91, 136.34, 130.43, 128.43, 124.64, 117.60, 112.86, 104.82, 101.89, 94.02, 55.30, 19.83, 19.45. MS (ESI^+): calcd. for $\text{C}_{17}\text{H}_{15}\text{O}_3$: $[\text{M}-\text{NO}_2]^+$: 267.1021, found 267.1024.

7-(3,4-dichlorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ra



Prepared according to **general procedure**. Light yellow solid, 99% yield, m.p. 119.9-120.6 °C. IR (thin film): ν_{\max} = 3020, 2910, 1568, 1498, 1479, 1460, 1296, 1238, 1038, 865, 830, 728. ^1H NMR (400 MHz, CDCl_3) δ = 7.52 – 7.37 (m, 1H), 7.30 – 7.15 (m, 1H), 7.08 – 6.93 (m, 1H), 6.73 (s, 1H), 6.55 (s, 1H), 6.08 – 5.95 (m, 2H), 5.89 (d, $J=1.6$, 1H), 4.79 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.79, 149.35, 144.57, 138.84, 133.56, 132.83, 131.30, 129.35, 126.78, 115.98, 111.86, 104.54, 102.12, 94.30, 54.55. MS (ESI^+): Calcd for $\text{C}_{15}\text{H}_9^{34,9689}\text{Cl}_2\text{NO}_5$: $[\text{M}-\text{NO}_2]^+$: 306.9929, Found: m/z 306.9933.

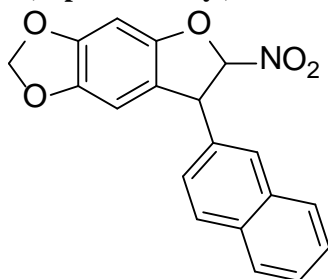
7-(2,4-dichlorophenyl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3sa



3sa

Prepared according to **general procedure**. Light brown solid, 97% yield. m.p. 56.8-57.5 °C. IR (thin film): ν_{\max} = 3033, 2910, 1565, 1479, 1460, 1295, 1232, 1034, 866, 828. ^1H NMR (400 MHz, CDCl_3) δ = 7.53 – 7.47 (m, 1H), 7.21 – 7.13 (m, 1H), 6.75 – 6.68 (m, 2H), 6.58 (s, 1H), 6.00 (dd, $J = 5.1, 1.2$, 2H), 5.94 (d, $J = 1.4$, 1H), 5.31 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 153.01, 149.27, 144.51, 135.01, 134.74, 134.20, 129.97, 129.76, 127.95, 116.16, 111.48, 104.63, 102.10, 94.33, 51.20. MS (ESI^+): Calcd for $\text{C}_{15}\text{H}_9^{34,9689}\text{Cl}_2\text{NO}_5$: $[\text{M}-\text{NO}_2]^+$: 306.9929, Found: m/z 306.9939.

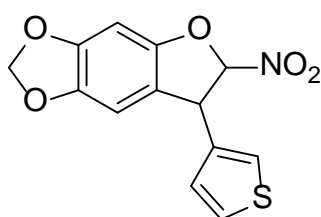
7-(naphthalen-1-yl)-6-nitro-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ta



3ta

Prepared according to **general procedure**. Yellow solid, 95% yield. m.p. 160.3-160.9 °C. IR (thin film): ν_{\max} = 3051, 2916, 1568, 1498, 1458, 1293, 1038, 880, 830, 730. ^1H NMR (400 MHz, CDCl_3) δ = 7.93 – 7.74 (m, 3H), 7.58 – 7.44 (m, 3H), 7.33 – 7.20 (m, 1H), 6.77 (s, 1H), 6.59 (s, 1H), 6.02 (d, $J = 1.7$, 1H), 5.99 (dd, $J = 7.9, 1.2$, 2H), 4.98 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.82, 148.99, 144.34, 136.07, 133.33, 133.04, 129.48, 127.97, 127.77, 126.79, 126.62, 126.44, 124.81, 117.22, 112.52, 104.92, 101.99, 94.17, 55.77. MS (ESI^+): Calcd for $\text{C}_{19}\text{H}_{13}\text{O}_3$: $[\text{M}-\text{NO}_2]^+$: 289.0859, Found: m/z 289.0866.

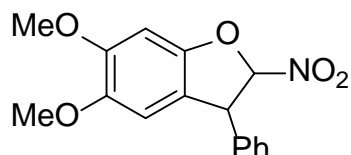
6-nitro-7-(thiophen-3-yl)-6,7-dihydro-[1,3]dioxolo[4,5-f]benzofuran 3ua



3ua

Prepared according to **general procedure**. Light brown solid, 89% yield. m.p. 32.6-33.5 °C. IR (thin film): ν_{\max} = 3023, 2918, 1568, 1508, 1470, 1292, 1258, 758. ^1H NMR (400 MHz, CDCl_3) δ = 7.41 – 7.33 (m, 1H), 7.05 – 7.01 (m, 1H), 6.98 – 6.94 (m, 1H), 6.71 (s, 1H), 6.63 (s, 1H), 6.04 – 5.95 (m, 3H), 4.92 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.46, 148.90, 144.20, 139.30, 127.66, 126.24, 122.84, 116.98, 111.93, 104.70, 101.96, 94.17, 50.92. MS (ESI^+): Calcd for $\text{C}_{13}\text{H}_9\text{O}_3\text{S}$: $[\text{M}-\text{NO}_2]^+$: 245.0267, Found: m/z 245.0272.

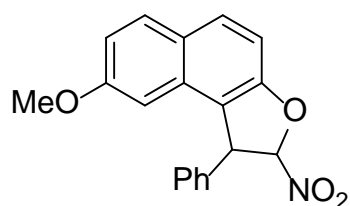
5,6-dimethoxy-2-nitro-3-phenyl-2,3-dihydrobenzofuran 3ab



3ab

Prepared according to **general procedure**. White solid, 95% yield. m.p. 104.0-104.6 °C. IR (thin film): ν_{\max} = 3033, 2958, 2870, 2840, 1600, 1580, 1455, 1372, 1302, 1243, 1032, 883, 830, 700. ^1H NMR (400 MHz, CDCl_3) δ = 7.38 – 7.32 (m, 3H), 7.17 – 7.13 (m, 2H), 6.80 (s, 1H), 6.66 (s, 1H), 5.95 (d, J = 1.7, 1H), 4.89 (br, 1H), 3.93 (s, 3H), 3.78 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ = 152.37, 150.70, 145.96, 138.99, 129.31, 128.37, 127.44, 115.82, 112.54, 107.92, 95.59, 56.59, 56.27, 56.00.

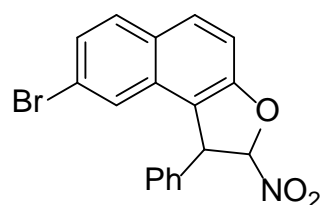
8-methoxy-2-nitro-1-phenyl-1,2-dihydronaphtho[2,1-b]furan 3ac



3ac

Prepared according to **general procedure**. White solid, 93% yield. m.p. 122.0-122.3 °C. IR (thin film): ν_{\max} = 3030, 2967, 2881, 2836, 1576, 1517, 1475, 1300, 1248, 1052, 755, 700. ^1H NMR (400 MHz, CDCl_3) δ 7.79 (dd, J = 24.7, 8.9 Hz, 2H), 7.43 – 7.26 (m, 4H), 7.24 – 7.14 (m, 2H), 7.01 (dd, J = 9.0, 2.5 Hz, 1H), 6.58 (d, J = 2.4 Hz, 1H), 6.11 (d, J = 1.8 Hz, 1H), 5.25 (d, J = 1.1 Hz, 1H), 3.68 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 158.91, 156.74, 137.78, 131.08, 131.03, 130.60, 129.37, 128.41, 127.55, 126.23, 117.37, 117.00, 112.53, 109.14, 101.57, 55.37, 55.17.

8-bromo-2-nitro-1-phenyl-1,2-dihydronaphtho[2,1-b]furan 3ad

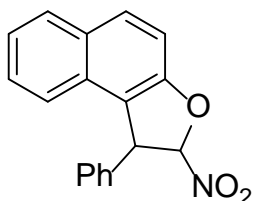


3ad

Prepared according to **general procedure**. White solid, 97% yield. m.p. 145.8-146.4 °C. IR (thin film): ν_{\max} = 3030, 2910, 1572, 1495, 1457, 1252, 1048, 750. ^1H NMR (400 MHz, CDCl_3) δ = 7.88 (d, J = 8.9, 1H), 7.74 (d, J = 8.8, 1H), 7.56 – 7.31 (m, 6H), 7.22 – 7.14 (m, 2H), 6.10 (d, J = 1.7, 1H), 5.27 (s, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 156.99, 137.40, 131.56, 130.79, 130.69, 129.59, 129.26, 128.70, 128.10, 127.46, 125.17, 122.26, 117.70, 112.38,

112.32, 55.10.

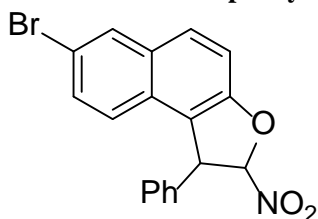
2-nitro-1-phenyl-1,2-dihydronaphtho[2,1-b]furan 3ae



3ae

Prepared according to **general procedure**. White solid, 98% yield. m.p. 102.4-103.2 °C. IR (thin film): ν_{\max} = 3029, 2920, 1579, 1520, 1460, 1300, 1253, 1053, 753, 699. ^1H NMR (400 MHz, CDCl_3) δ = 7.97 – 7.87 (m, 2H), 7.46 (d, J = 8.9, 1H), 7.42 – 7.31 (m, 6H), 7.24 – 7.17 (m, 2H), 6.12 (d, J = 1.7, 1H), 5.33 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 156.22, 137.94, 131.51, 130.88, 129.61, 129.43, 129.09, 128.47, 127.69, 127.58, 124.55, 123.04, 118.30, 112.51, 111.87, 55.40.

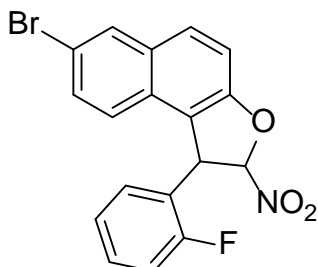
7-bromo-2-nitro-1-phenyl-1,2-dihydronaphtho[2,1-b]furan 3af



3af

Prepared according to **general procedure**. White solid, 99% yield. m.p. 123.6-124.1 °C. IR (thin film): ν_{\max} = 3033, 2915, 1585, 1508, 1298, 1248, 1053, 740. ^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, J = 1.8 Hz, 1H), 7.82 (d, J = 8.9 Hz, 1H), 7.46 (d, J = 8.9 Hz, 1H), 7.42 (dd, J = 8.9, 1.9 Hz, 1H), 7.38 – 7.28 (m, 3H), 7.22 (d, J = 8.8 Hz, 1H), 7.18 – 7.12 (m, 2H), 6.10 (d, J = 1.8 Hz, 1H), 5.29 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 156.48, 137.60, 131.95, 131.06, 131.02, 130.63, 129.52, 128.66, 128.07, 127.50, 124.65, 118.72, 118.29, 112.99, 112.33, 55.24.

7-bromo-1-(2-fluorophenyl)-2-nitro-1,2-dihydronaphtho[2,1-b]furan 3hf

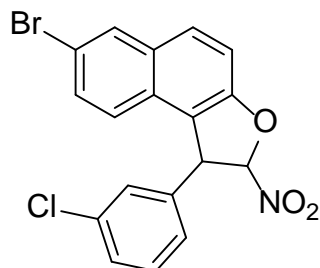


3hf

Prepared according to **general procedure**. Light yellow solid, 95% yield. m.p. 120.8-121.5 °C. IR (thin film): ν_{\max} = 3023, 2923, 1573, 1491, 1457, 1250, 1050, 860. ^1H NMR (400 MHz, CDCl_3) δ = 8.02 (d, J = 1.3, 1H), 7.81 (d, J = 8.9, 1H), 7.45 (d, J = 8.8, 2H), 7.40 – 7.11 (m, 3H), 6.99 (t, J = 7.5, 1H), 6.72 (t, J = 7.1, 1H), 6.15 (d, J = 1.2,

1H), 5.61 (br, 1H). ¹³C NMR (101 MHz, CDCl₃) δ = 160.11 (*J* = 249.23), 156.55, 131.93, 131.19, 131.10, 130.75, 130.50 (*J* = 8.30), 128.81, 128.79, 127.94, 125.05 (*J* = 3.69), 124.56 (*J* = 14.17), 124.32, 118.18 (*J* = 39.73), 116.20 (*J* = 21.36), 113.00, 111.56, 47.88.

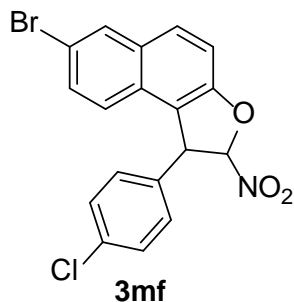
7-bromo-1-(3-chlorophenyl)-2-nitro-1,2-dihydronaphtho[2,1-b]furan 3lf



3lf

Prepared according to **general procedure**. Light yellow solid, 95% yield. m.p. 142.1-142.8 °C. IR (thin film): ν_{\max} = 3029, 2924, 1575, 1492, 1455, 1294, 1247, 1052, 800, 722. ¹H NMR (400 MHz, CDCl₃) δ = 8.11 – 7.97 (m, 1H), 7.83 (d, *J* = 8.9, 1H), 7.50 – 7.42 (m, 2H), 7.32 (d, *J* = 8.4, 2H), 7.18 (d, *J* = 8.8, 1H), 7.10 (d, *J* = 8.4, 2H), 6.06 (d, *J* = 1.6, 1H), 5.28 (br, 1H). ¹³C NMR (101 MHz, CDCl₃) δ = 156.53, 136.02, 134.70, 131.99, 131.18, 131.15, 130.88, 129.73, 128.87, 127.91, 124.42, 118.43, 118.17, 113.00, 111.98, 54.57, 54.55.

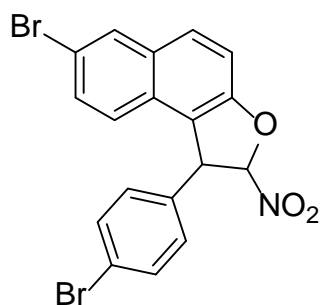
7-bromo-1-(4-chlorophenyl)-2-nitro-1,2-dihydronaphtho[2,1-b]furan 3mf



3mf

Prepared according to **general procedure**. Light yellow solid, 95% yield, m.p. 145.5-146.2 °C. IR (thin film): ν_{\max} = 3027, 2945, 1568, 1490, 1460, 1300, 1245, 1050, 830. ¹H NMR (400 MHz, CDCl₃) δ 8.03 (s, 1H), 7.82 (d, *J* = 8.9 Hz, 1H), 7.51 – 7.39 (m, 2H), 7.31 (d, *J* = 7.6 Hz, 2H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.09 (d, *J* = 7.7 Hz, 2H), 6.05 (br, 1H), 5.27 (br, 1H). ¹³C NMR (101 MHz, CDCl₃) δ = 156.52, 136.02, 134.70, 131.98, 131.17, 131.15, 130.88, 129.73, 128.88, 127.90, 124.43, 118.43, 118.18, 113.00, 111.98, 54.57.

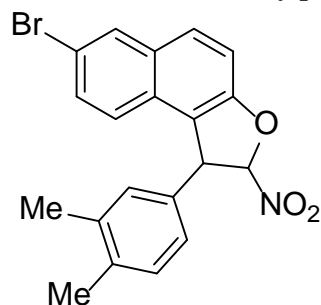
7-bromo-1-(4-bromophenyl)-2-nitro-1,2-dihydronaphtho[2,1-b]furan 3pf



3pf

Prepared according to **general procedure**. Pink solid, 99% yield. m.p. 160.4-161.4 °C. IR (thin film): ν_{\max} = 3016, 2893, 1568, 1477, 1244, 1039, 1295, 837. ^1H NMR (400 MHz, CDCl_3) δ = 8.04 (d, J = 1.7, 1H), 7.83 (d, J = 8.9, 1H), 7.51 – 7.42 (m, 4H), 7.18 (d, J = 8.8, 1H), 7.04 (d, J = 8.4, 2H), 6.05 (d, J = 1.7, 1H), 5.26 (br, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ = 156.53, 136.53, 132.69, 131.98, 131.19, 131.16, 130.90, 129.19, 127.89, 124.42, 122.81, 118.44, 118.10, 113.01, 111.87, 54.63, 54.60.

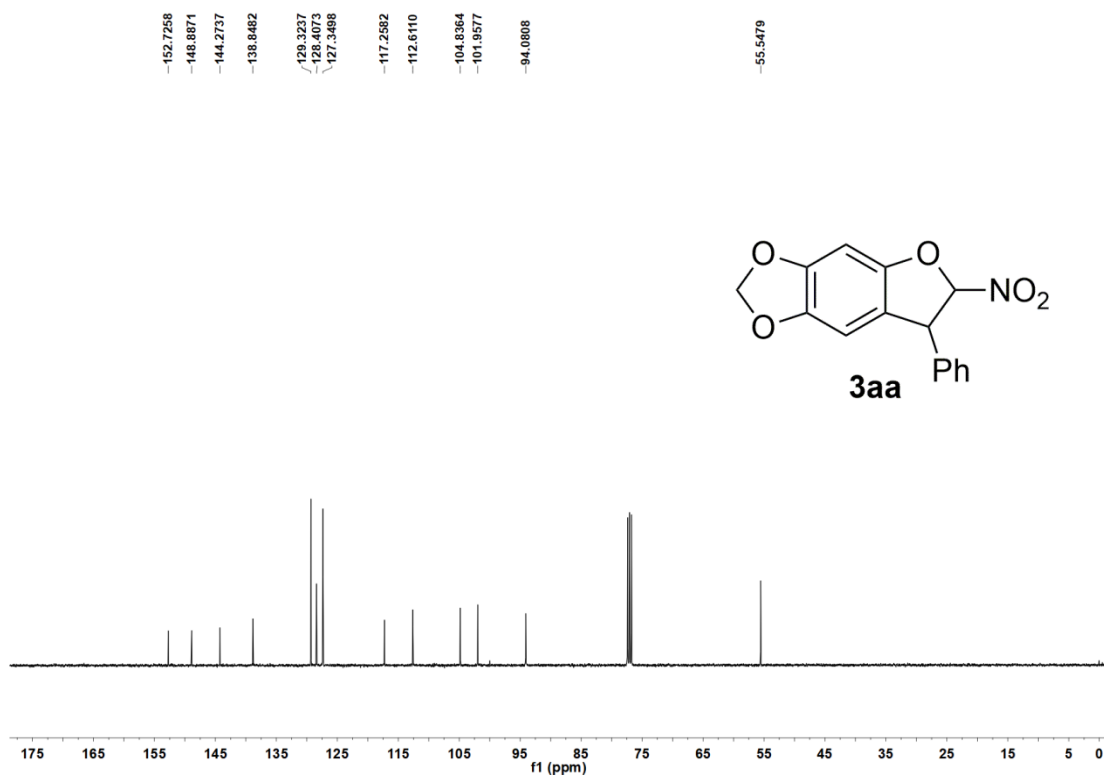
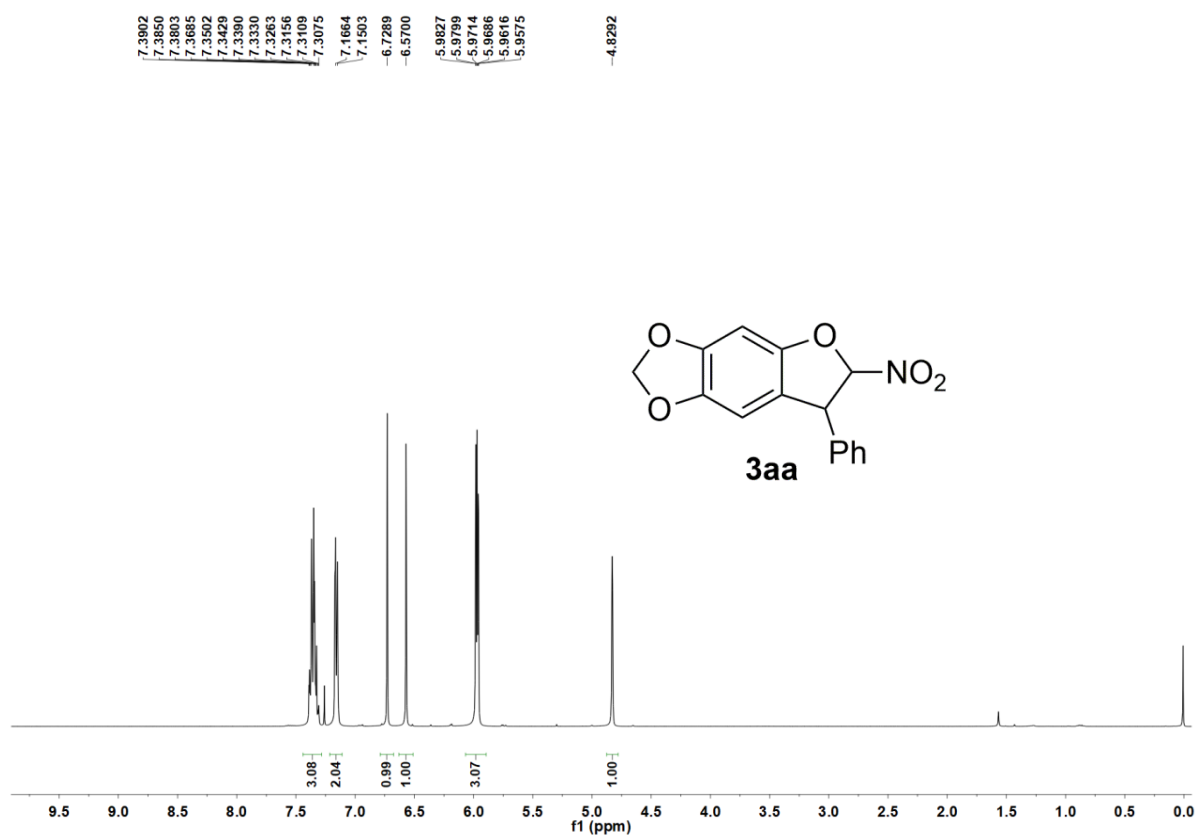
7-bromo-1-(3,4-dimethylphenyl)-2-nitro-1,2-dihydronaphtho[2,1-b]furan 3qf

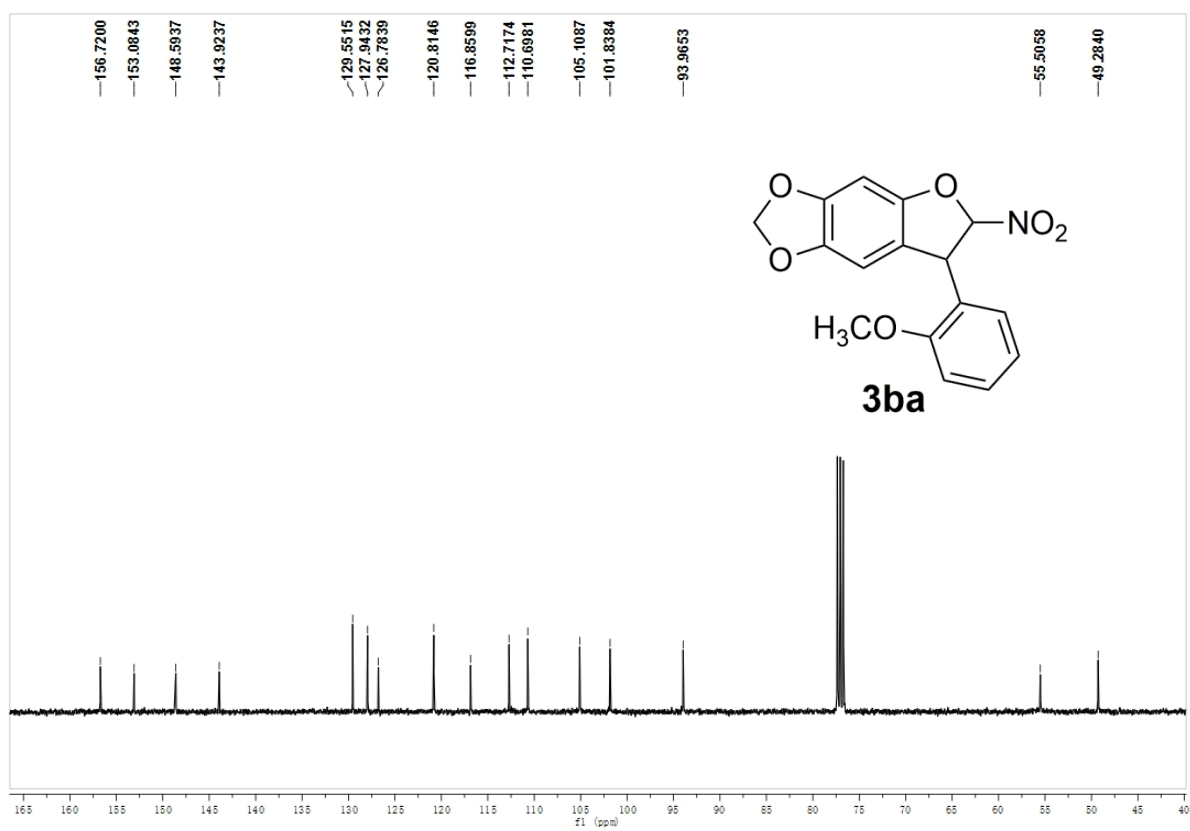
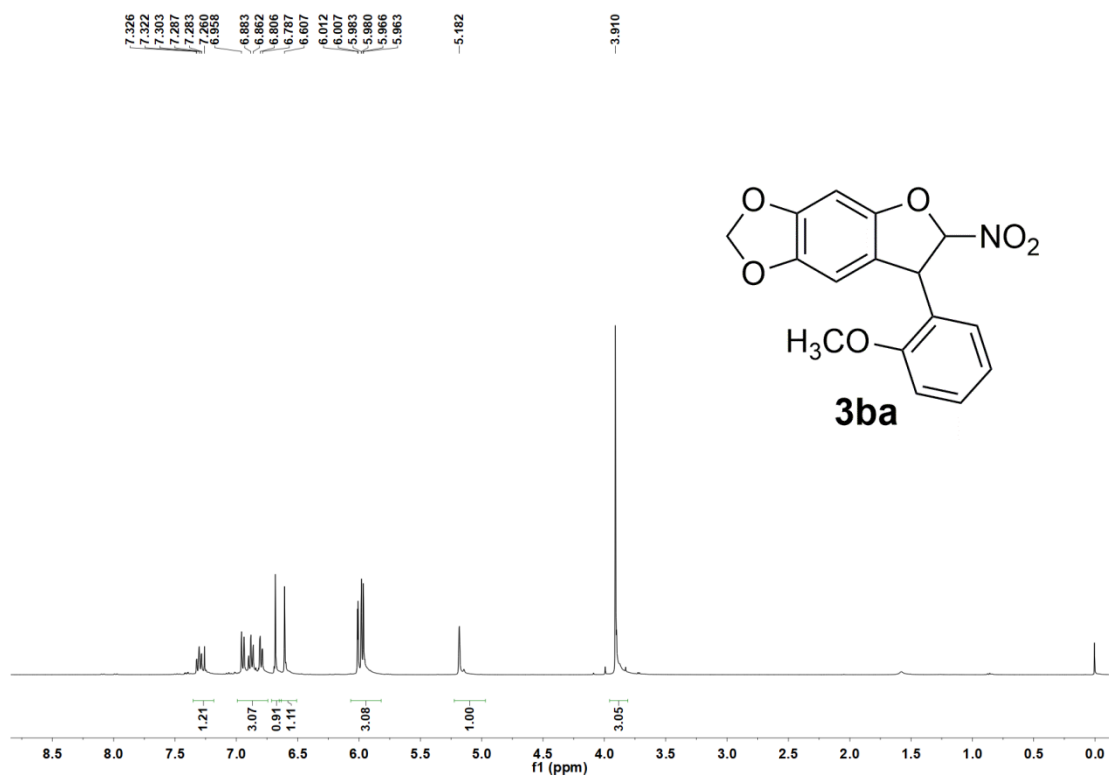


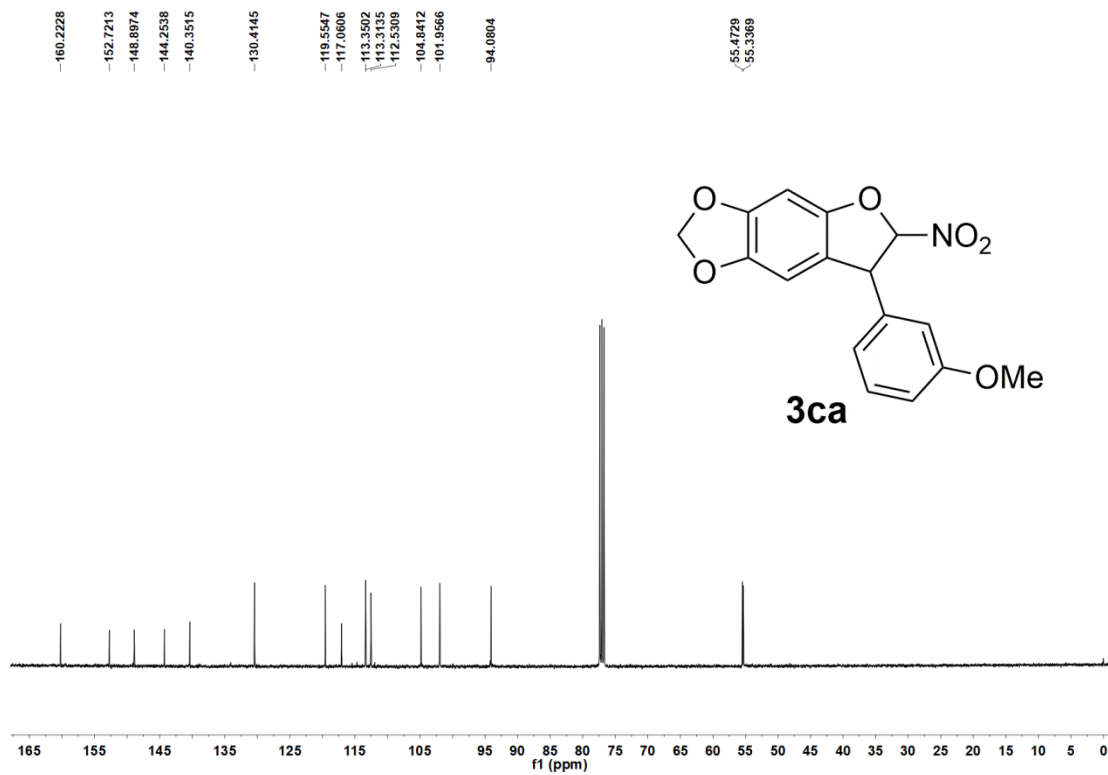
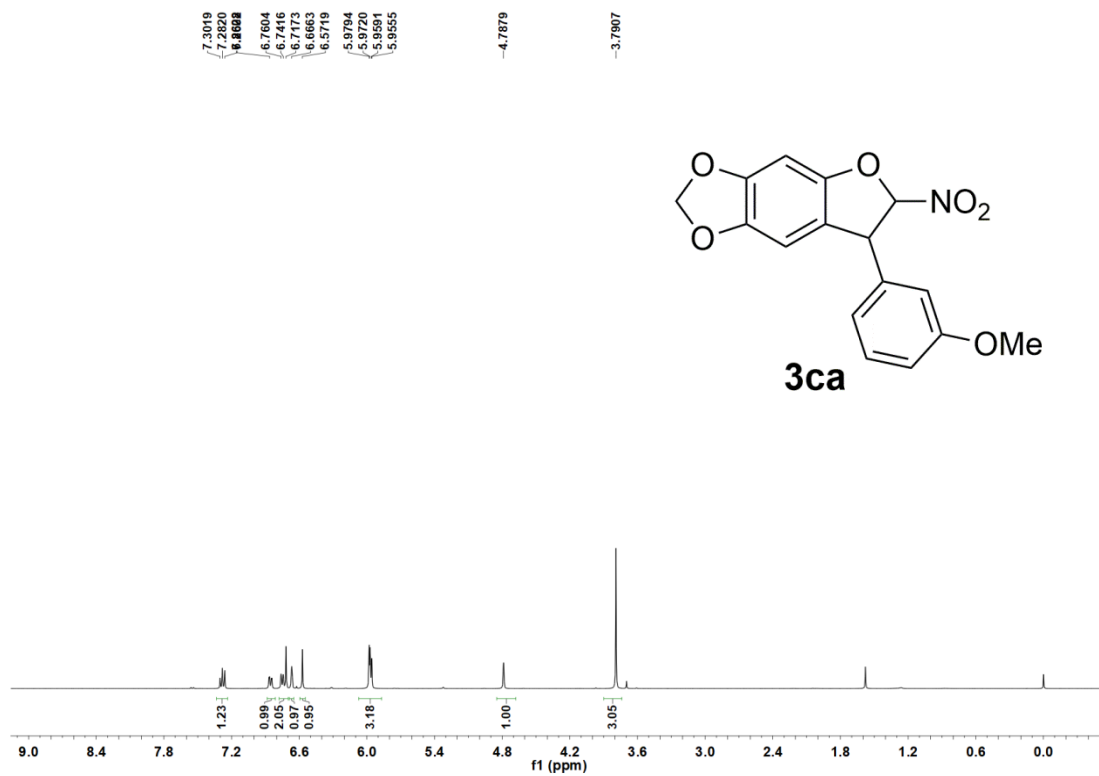
3qf

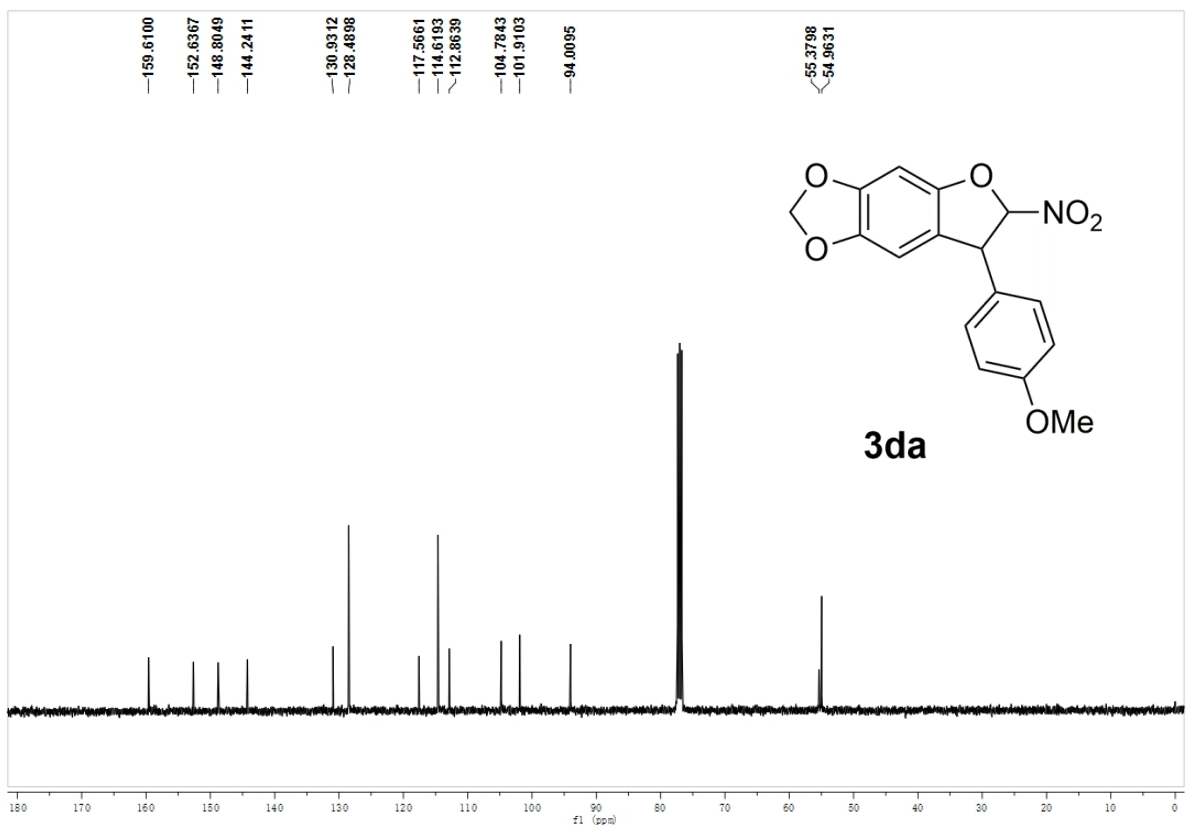
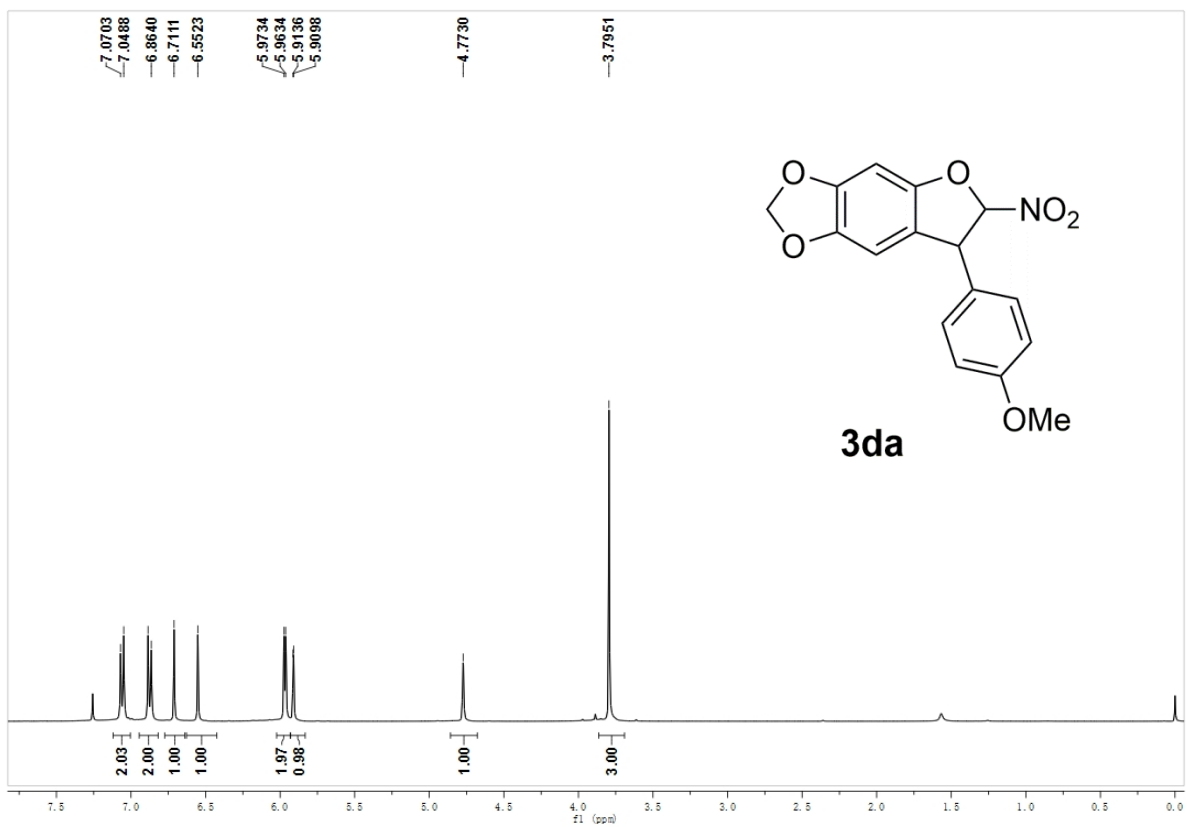
Prepared according to **general procedure**. Light yellow solid, 95% yield. m.p. 171.5-172.4 °C. IR (thin film): ν_{\max} = 3023, 2968, 2938, 1598, 1498, 1456, 1373, 1303, 1248, 1051, 886, 832, 690. ^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, J = 1.7 Hz, 1H), 7.81 (d, J = 8.9 Hz, 1H), 7.50 – 7.39 (m, 2H), 7.24 (s, 1H), 7.08 (d, J = 7.7 Hz, 1H), 6.94 (s, 1H), 6.84 (d, J = 7.7 Hz, 1H), 6.08 (d, J = 1.7 Hz, 1H), 5.22 (br 1H), 2.22 (d, J = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ = 156.38, 137.93, 137.17, 135.08, 131.94, 130.99, 130.92, 130.58, 130.42, 128.54, 128.13, 124.76, 124.72, 119.03, 118.20, 112.97, 112.61, 55.01, 19.86, 19.49.

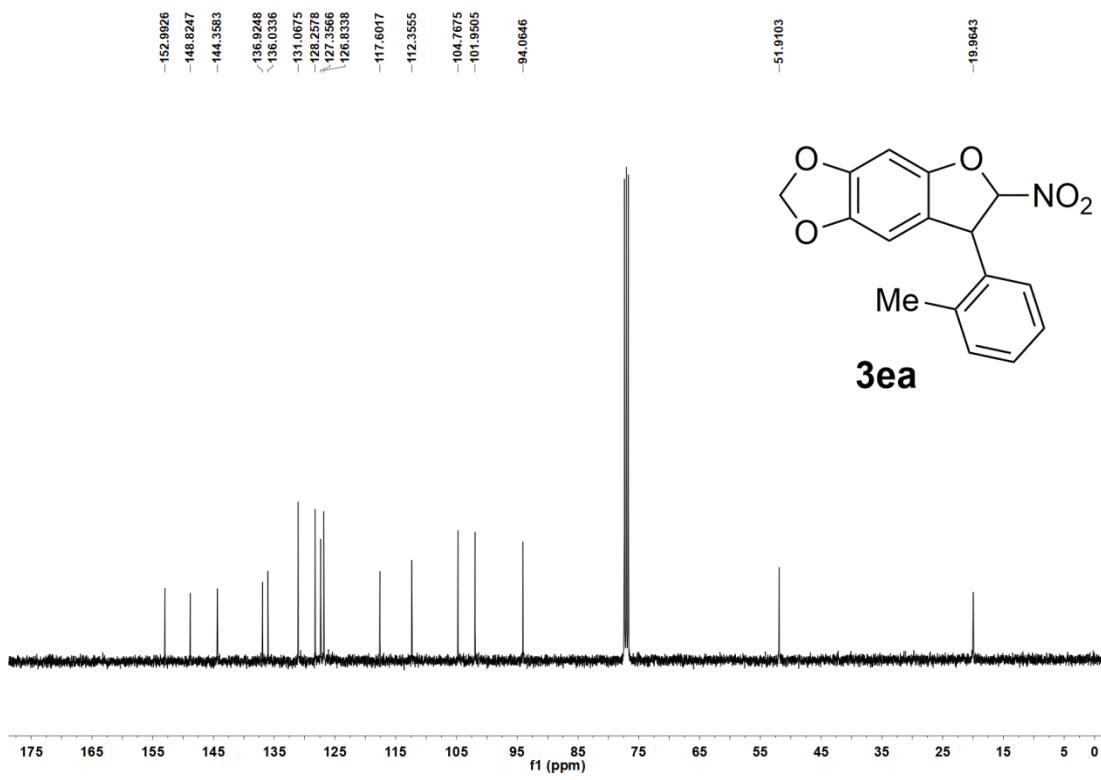
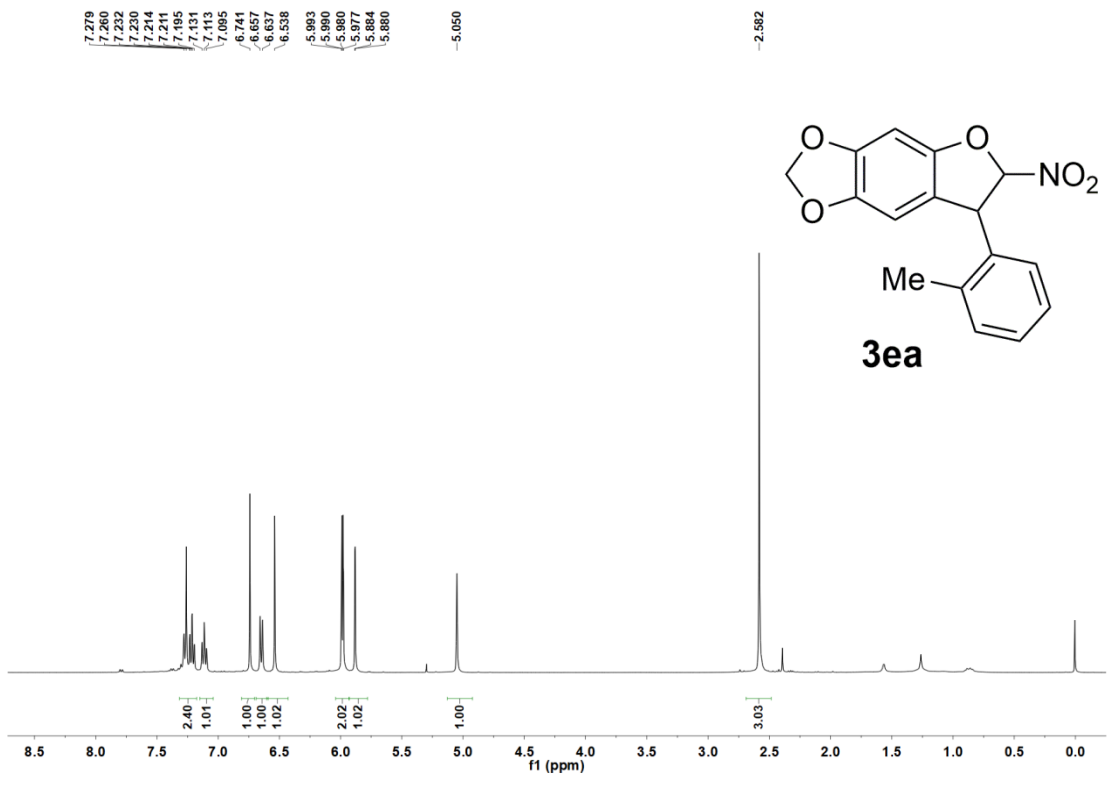
6. Copies of ^1H NMR, ^{13}C NMR and ^{19}F NMR spectra for the products

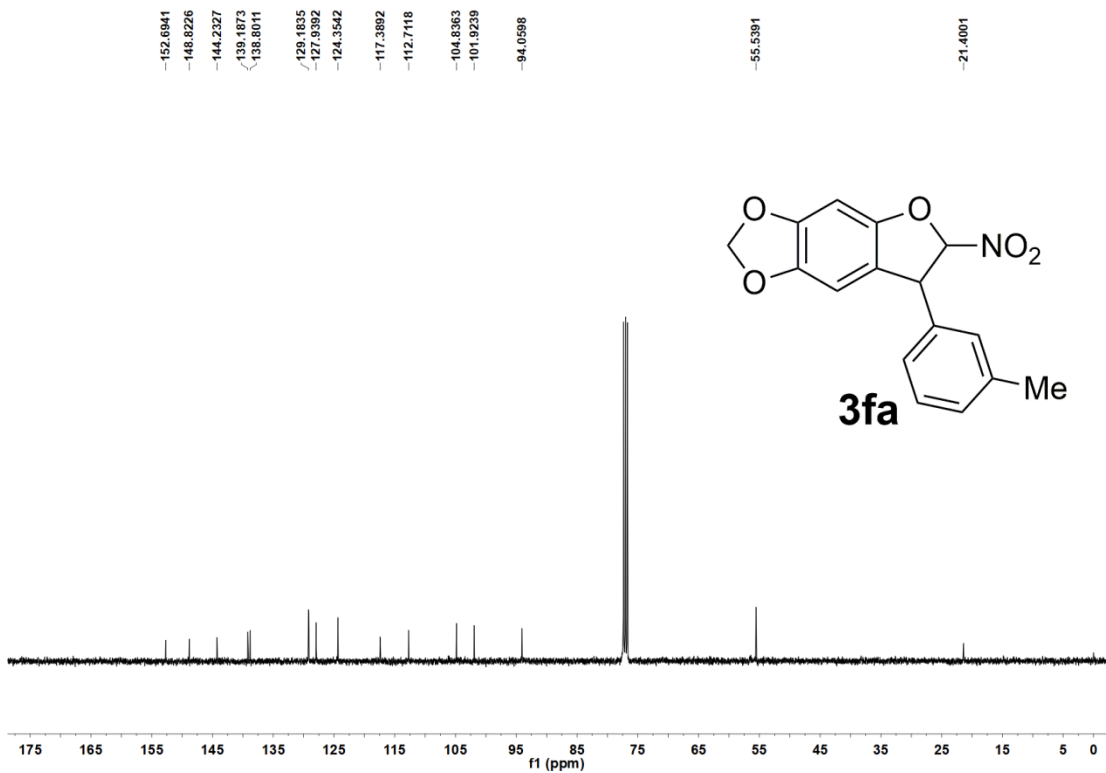
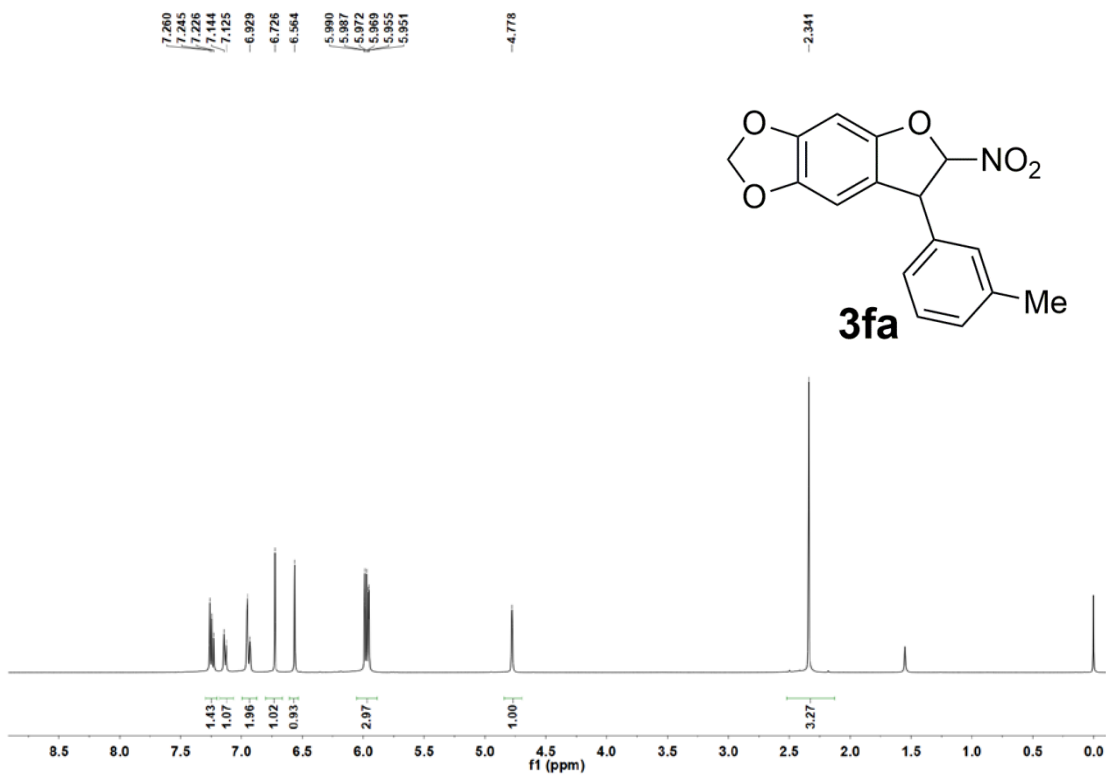


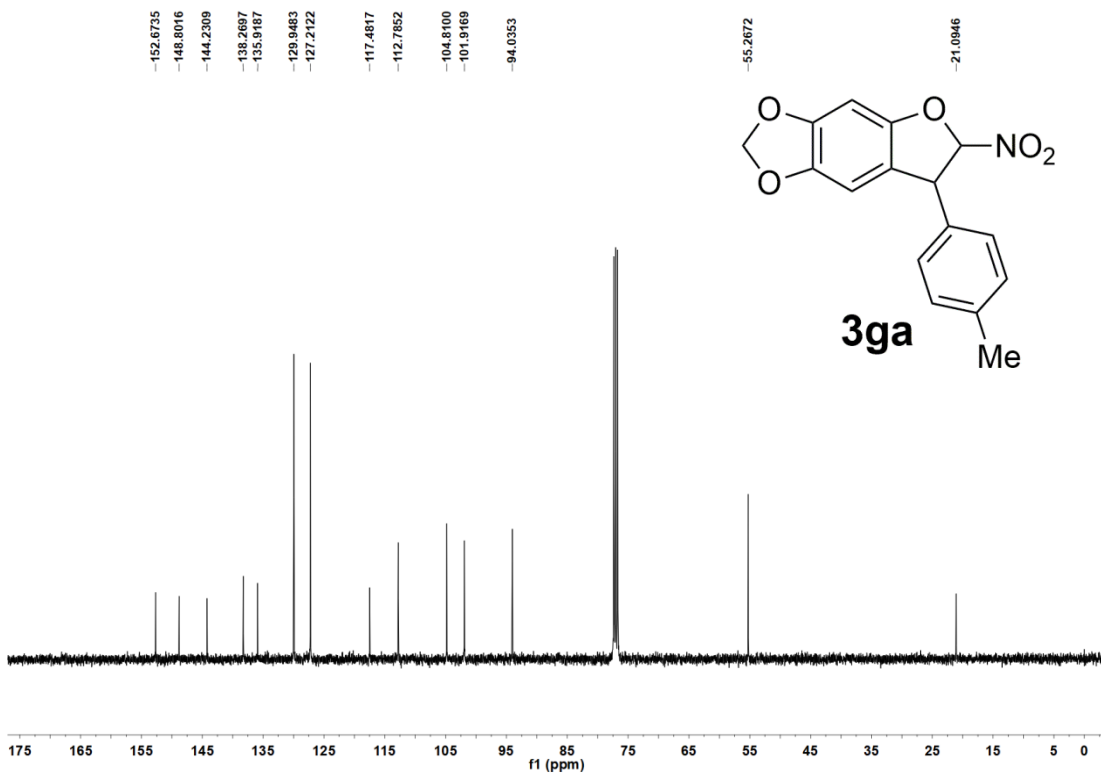
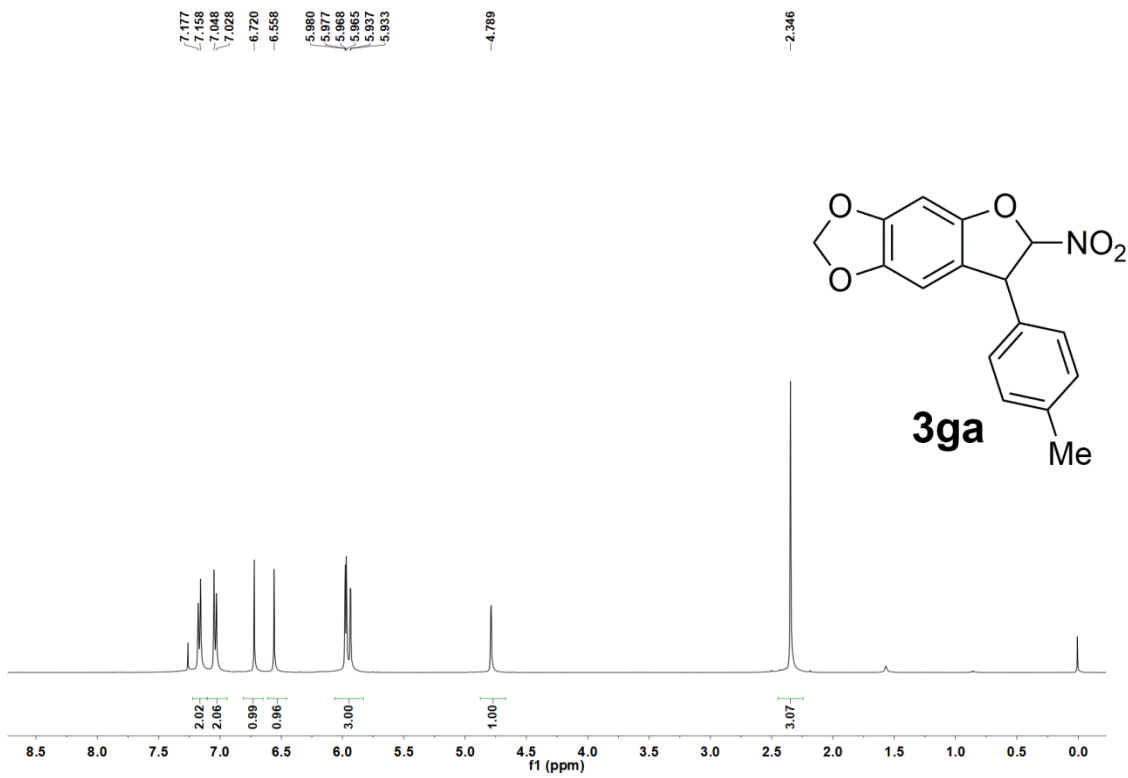


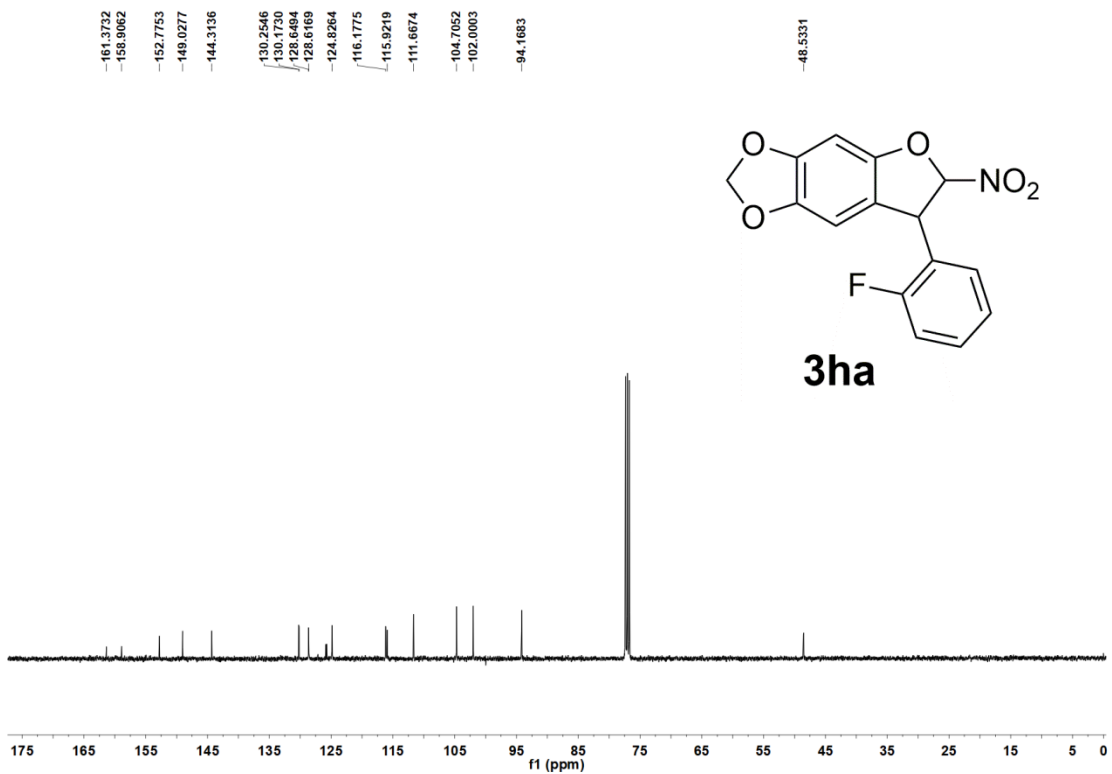
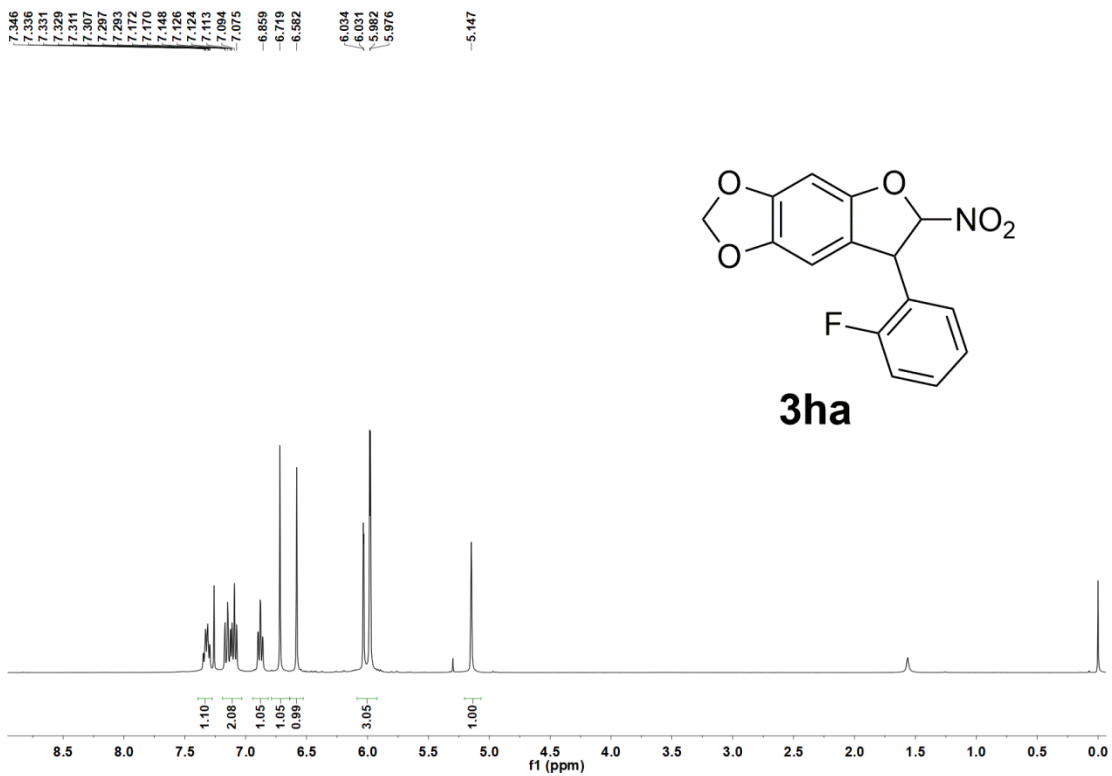


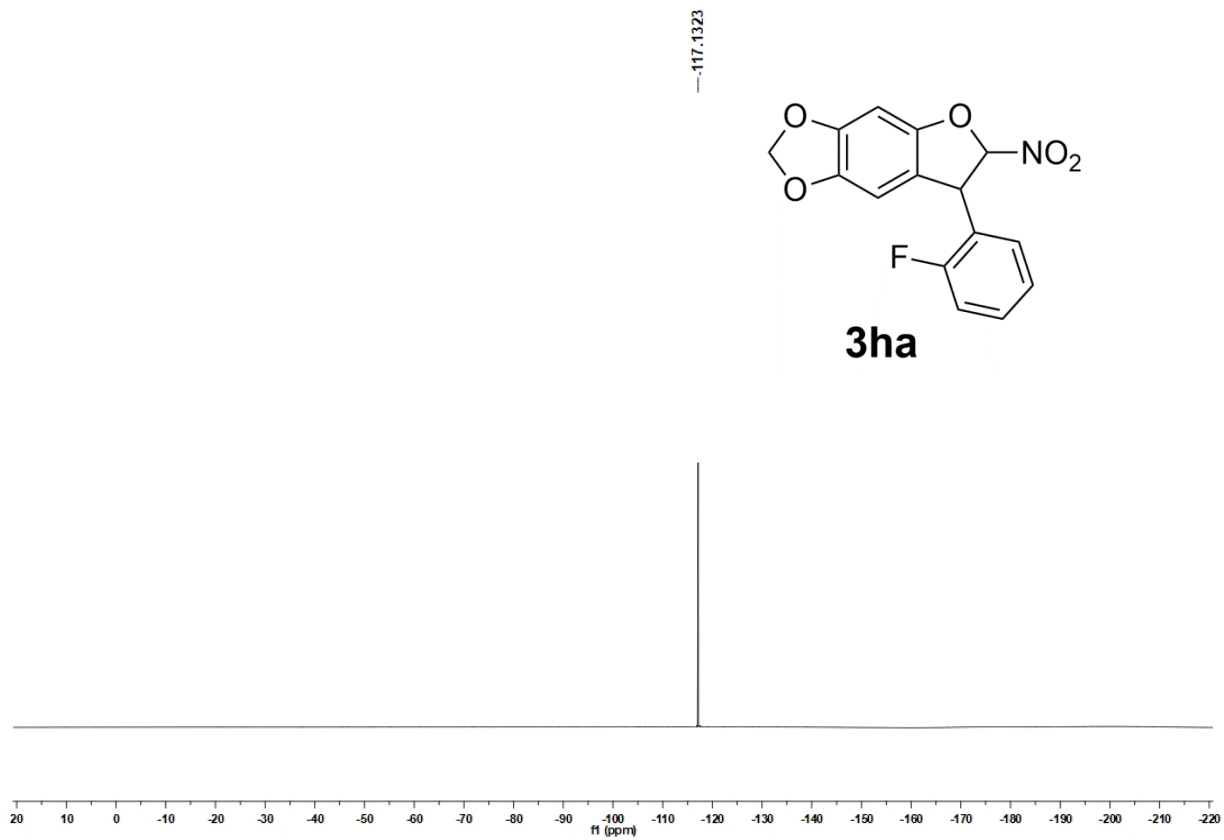


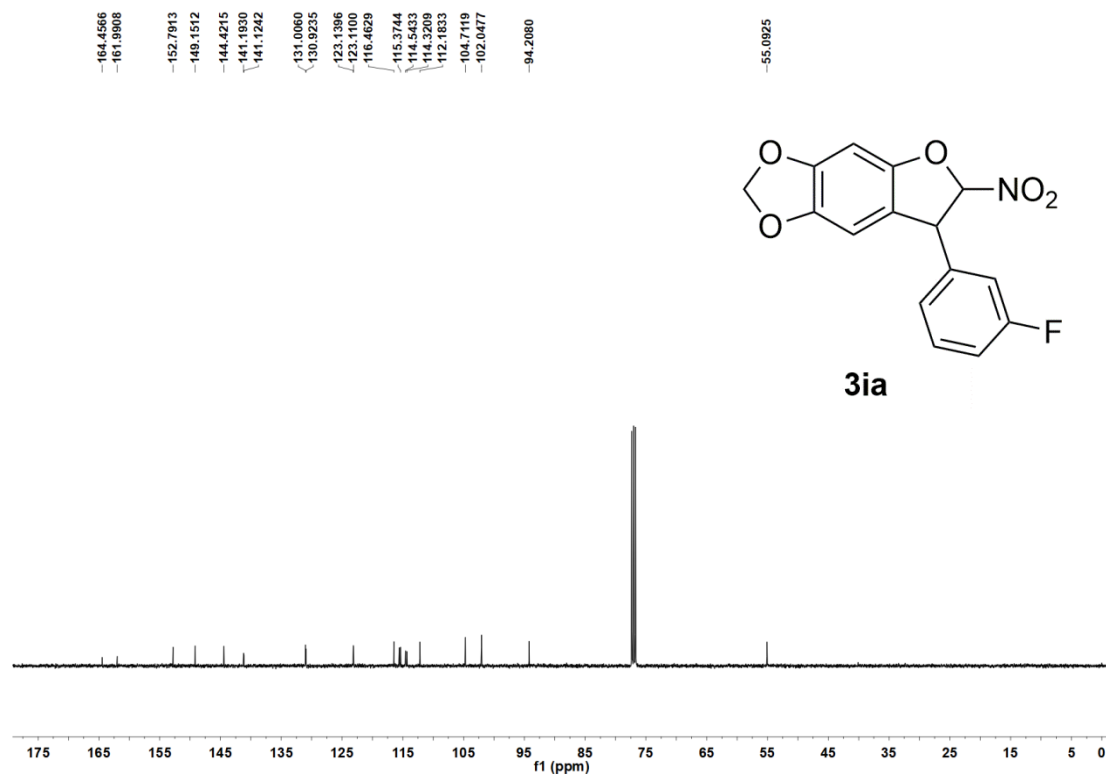
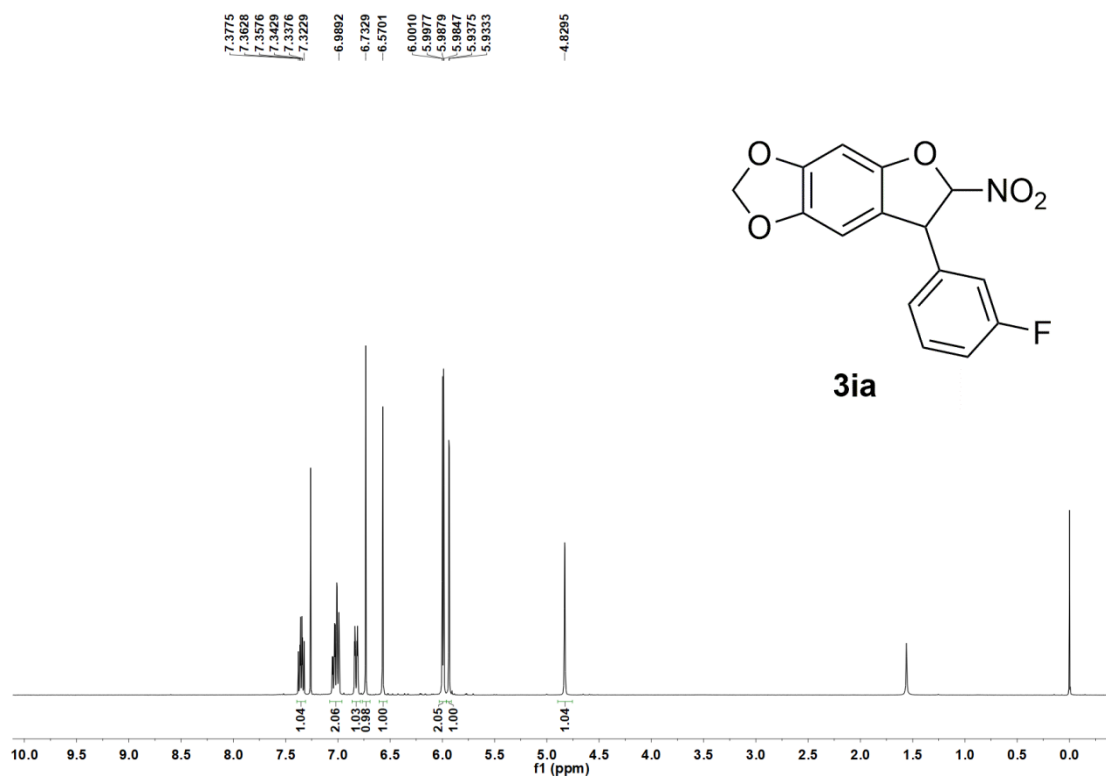


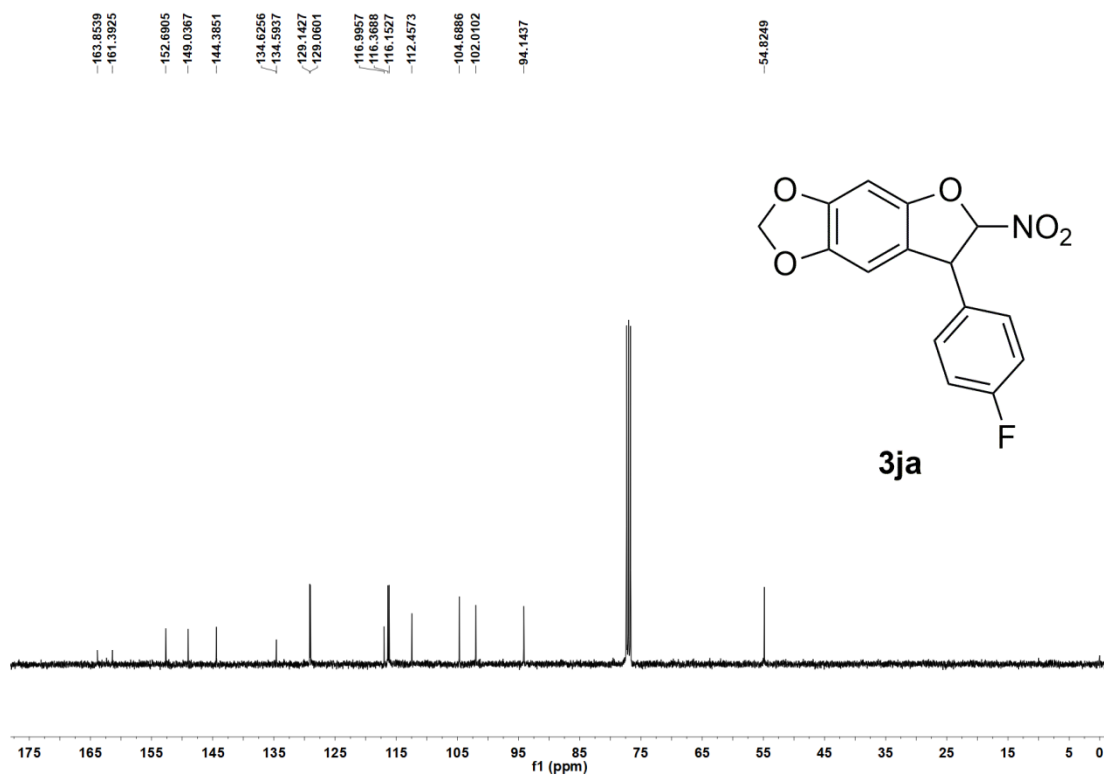
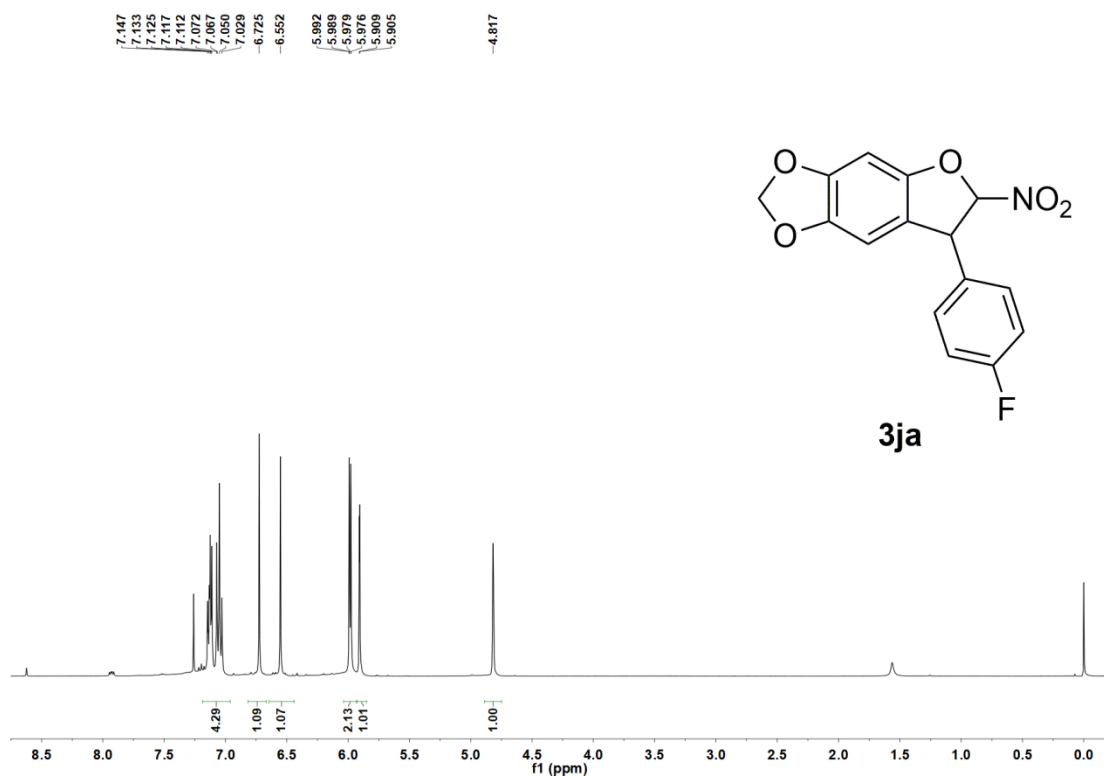




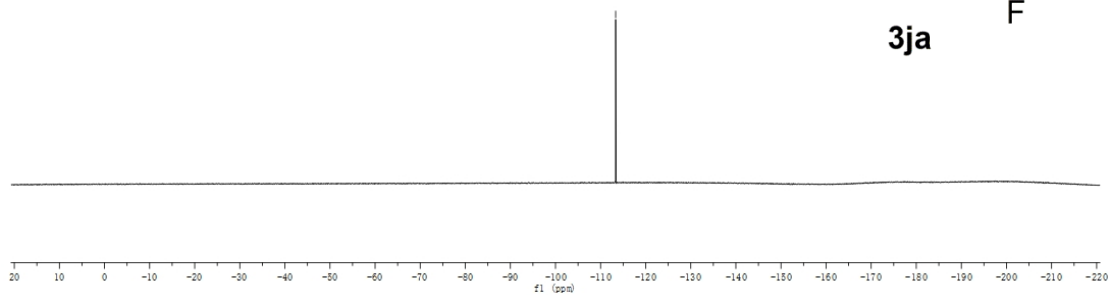
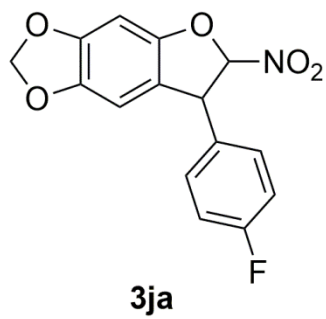


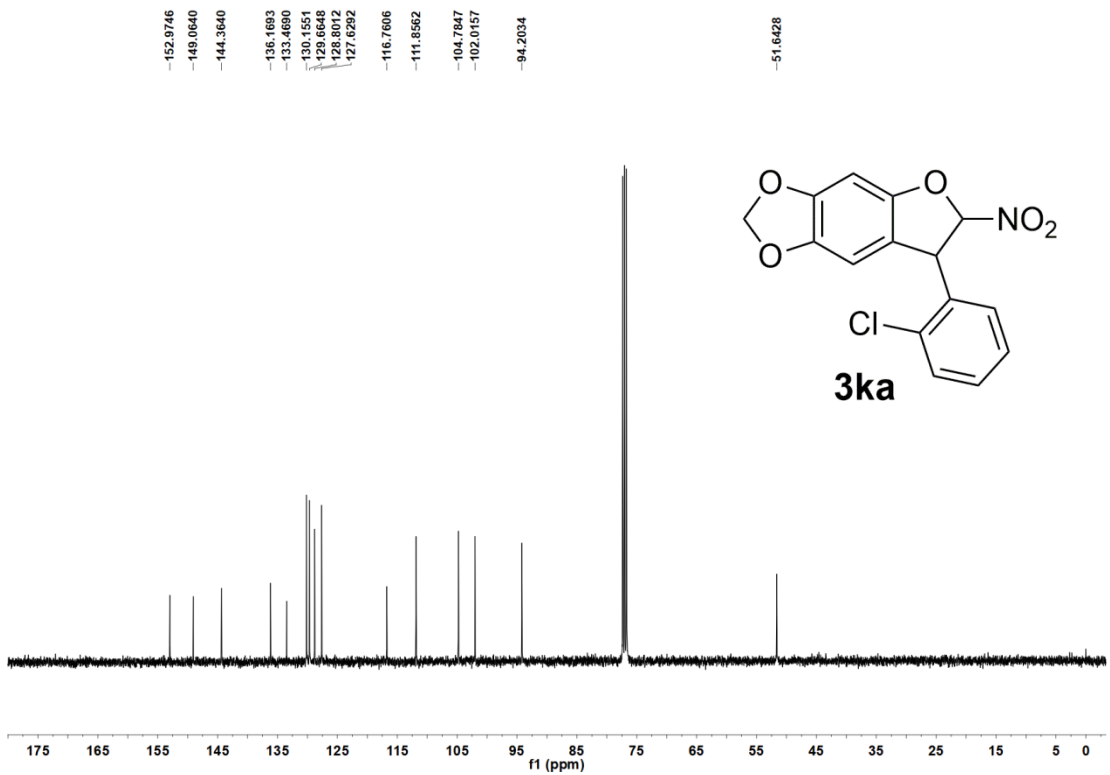
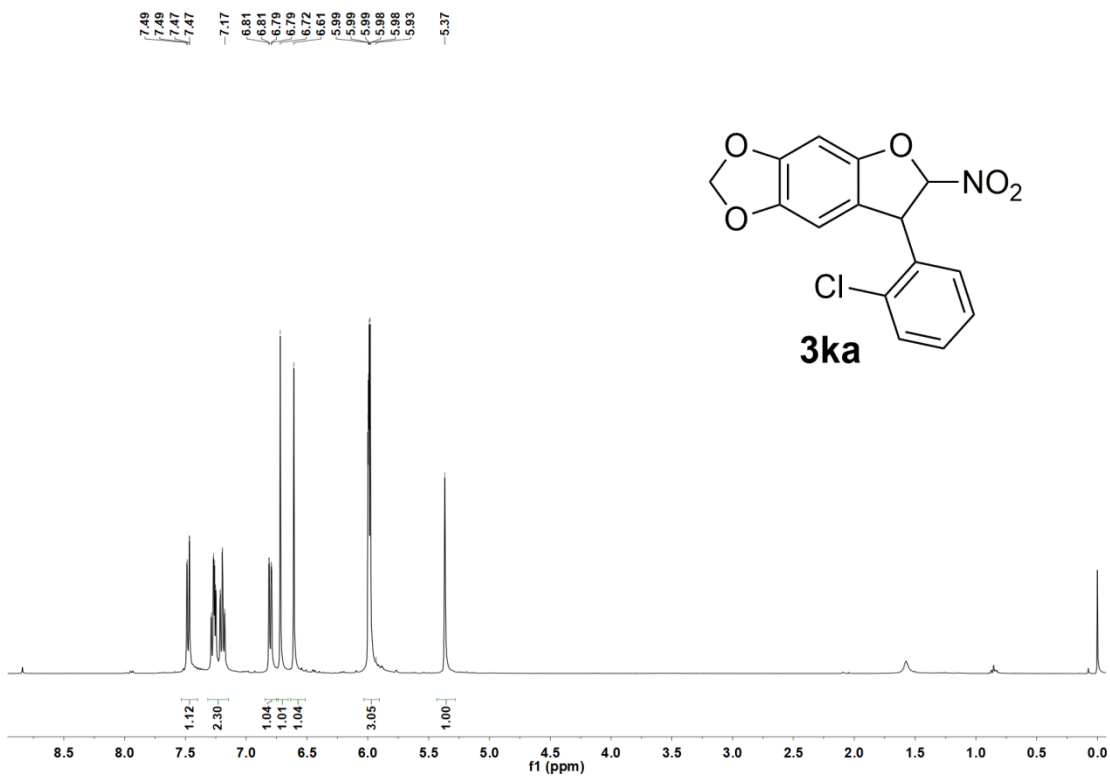


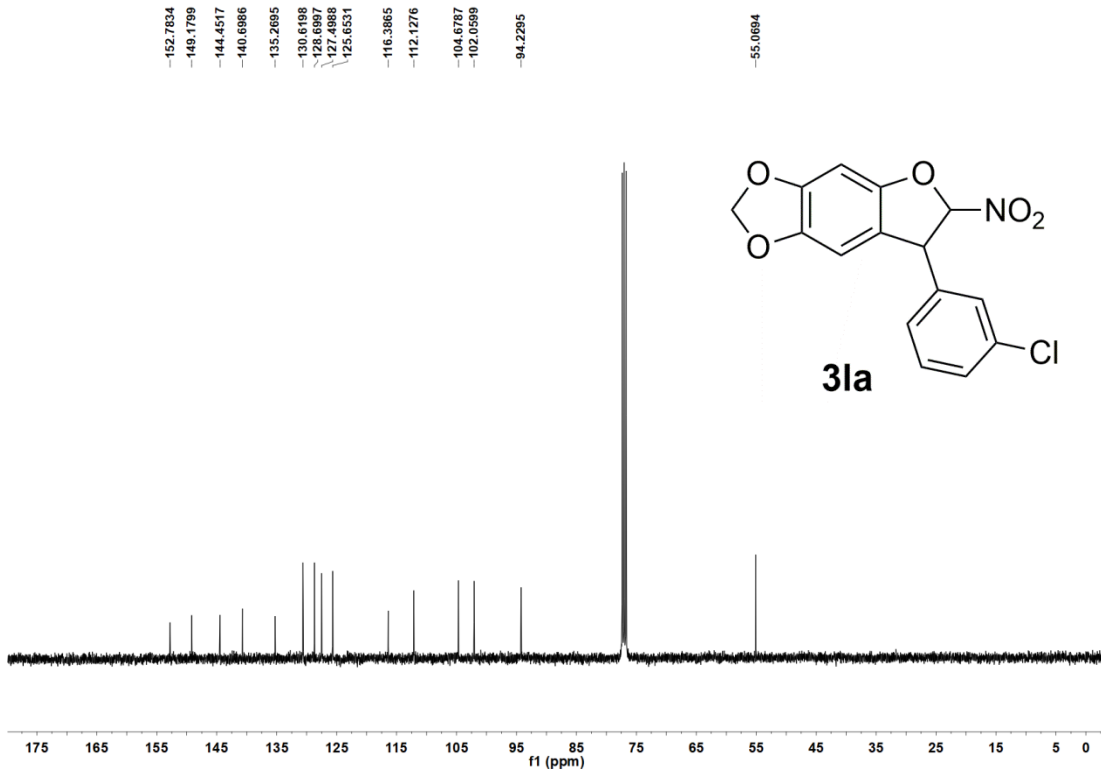
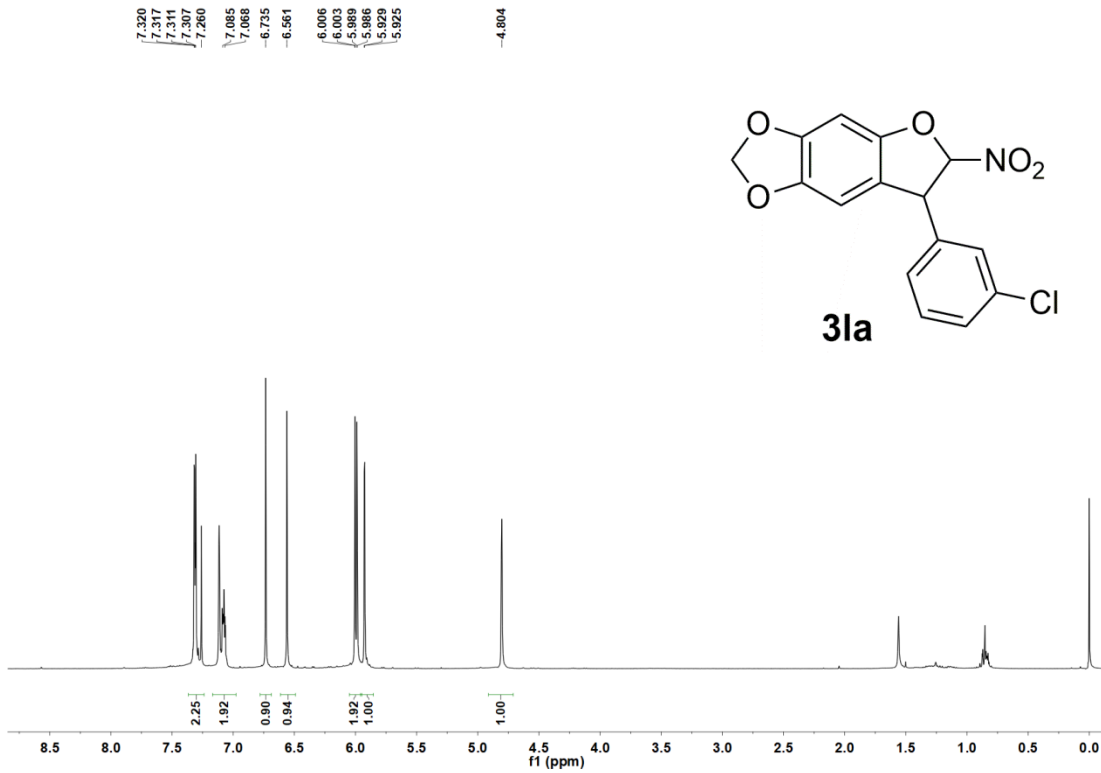


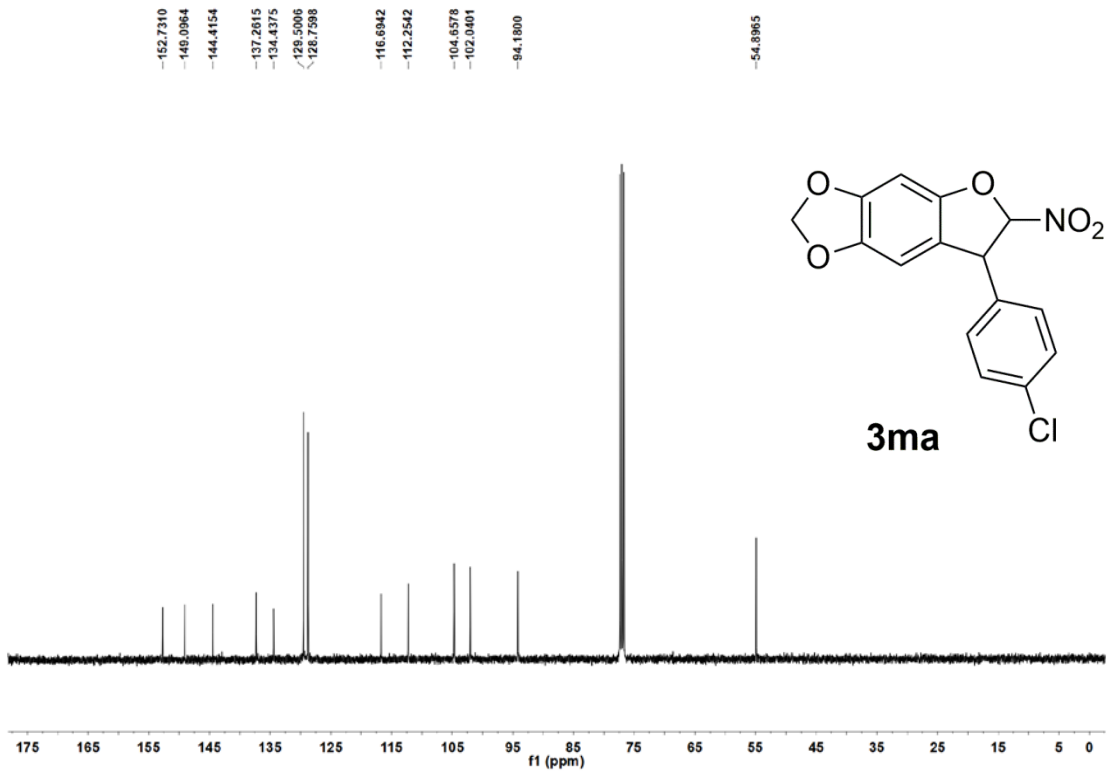
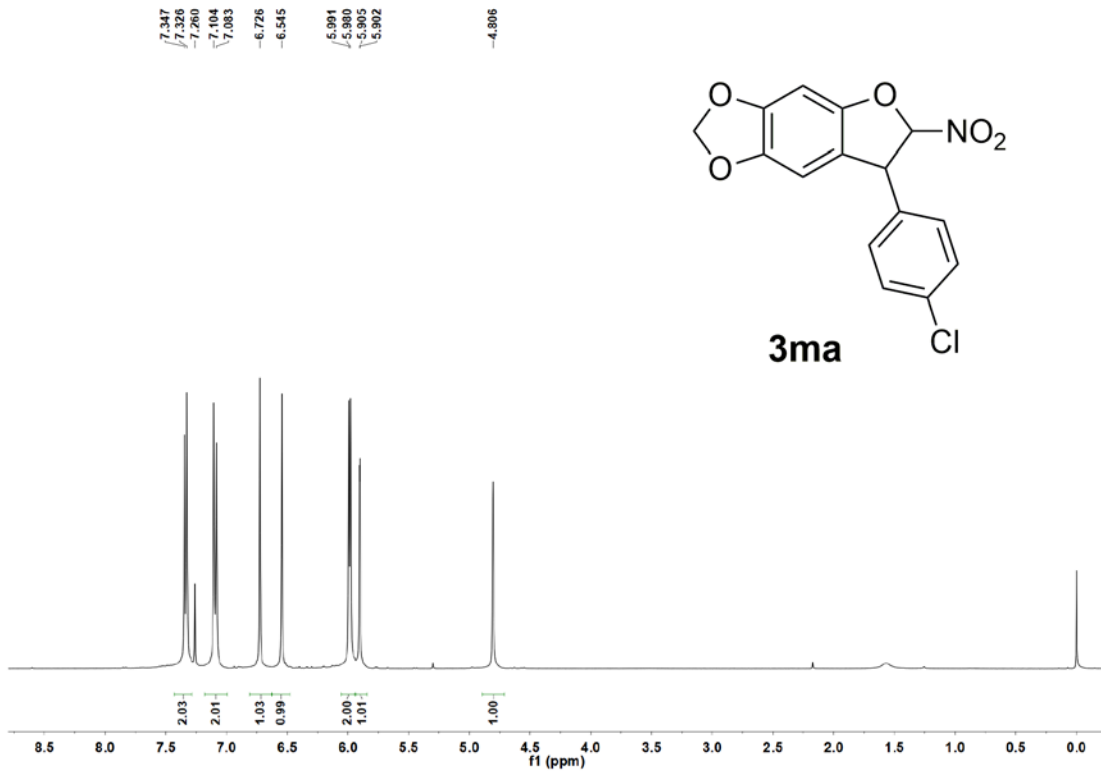


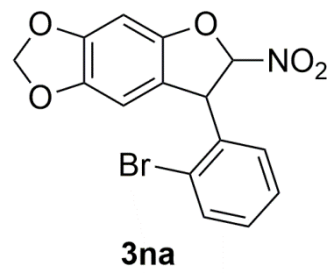
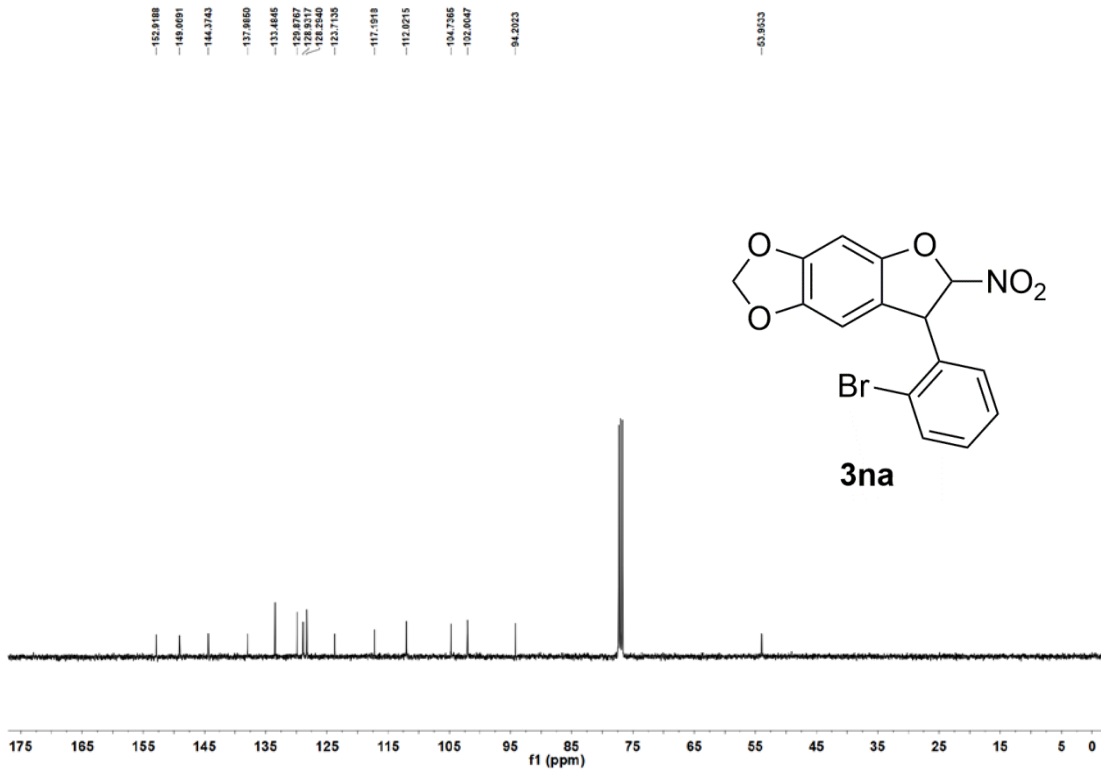
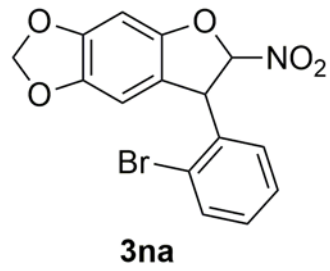
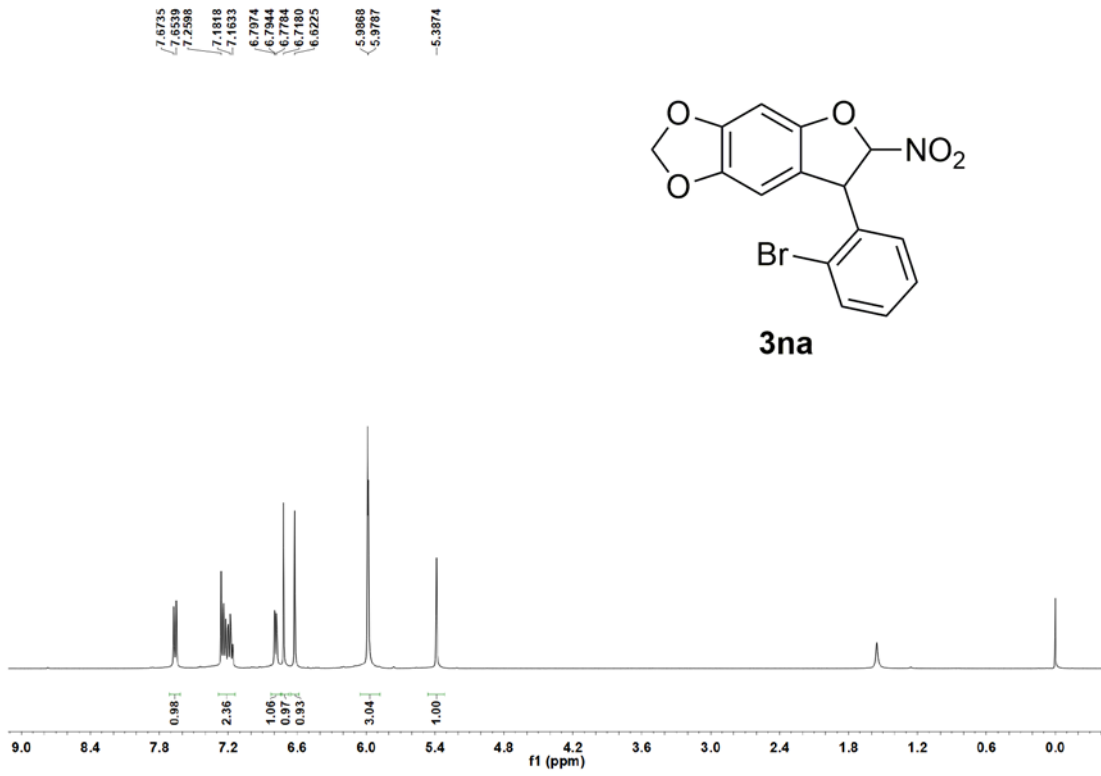
—113.3415

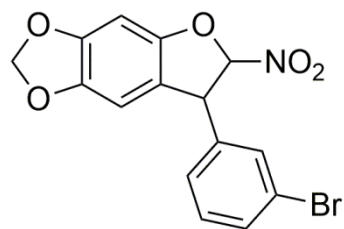
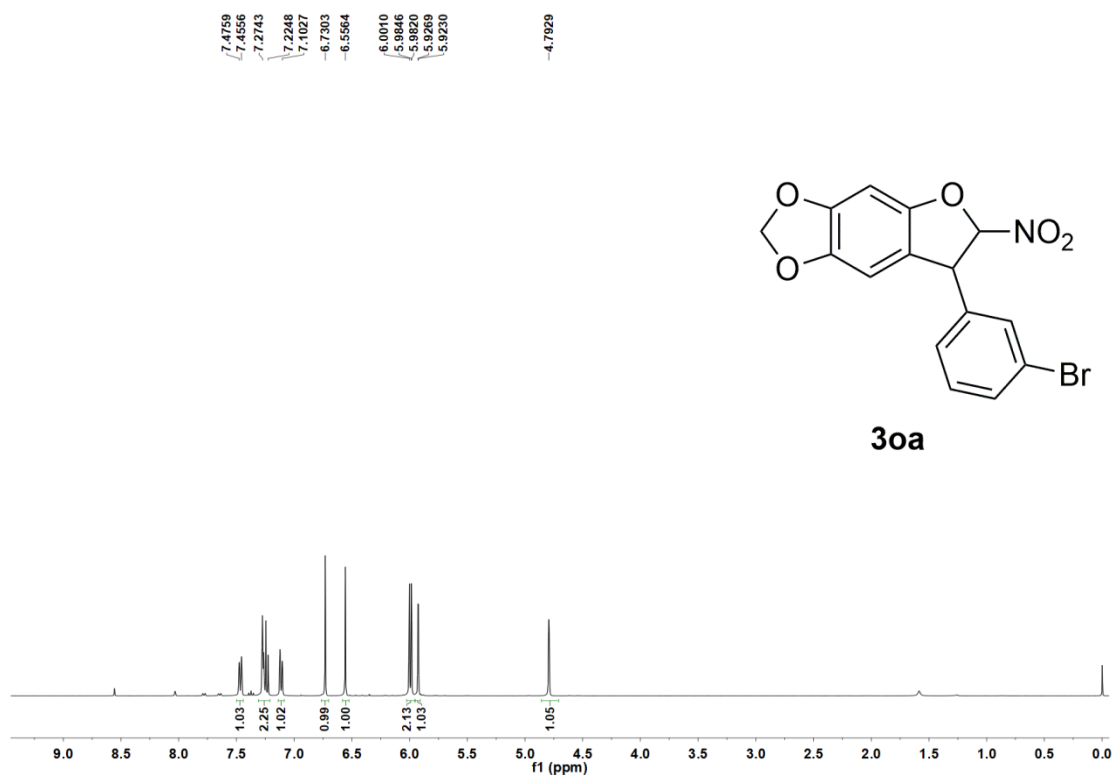




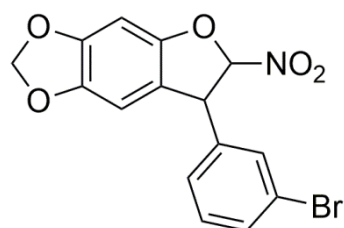
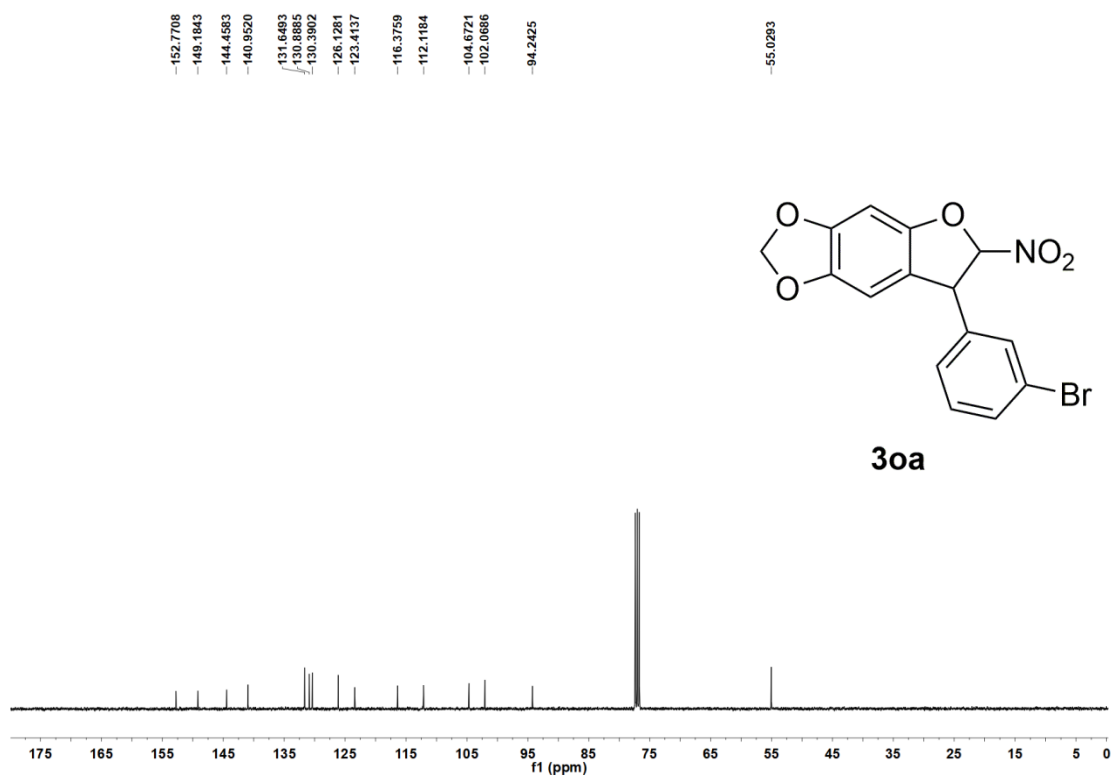




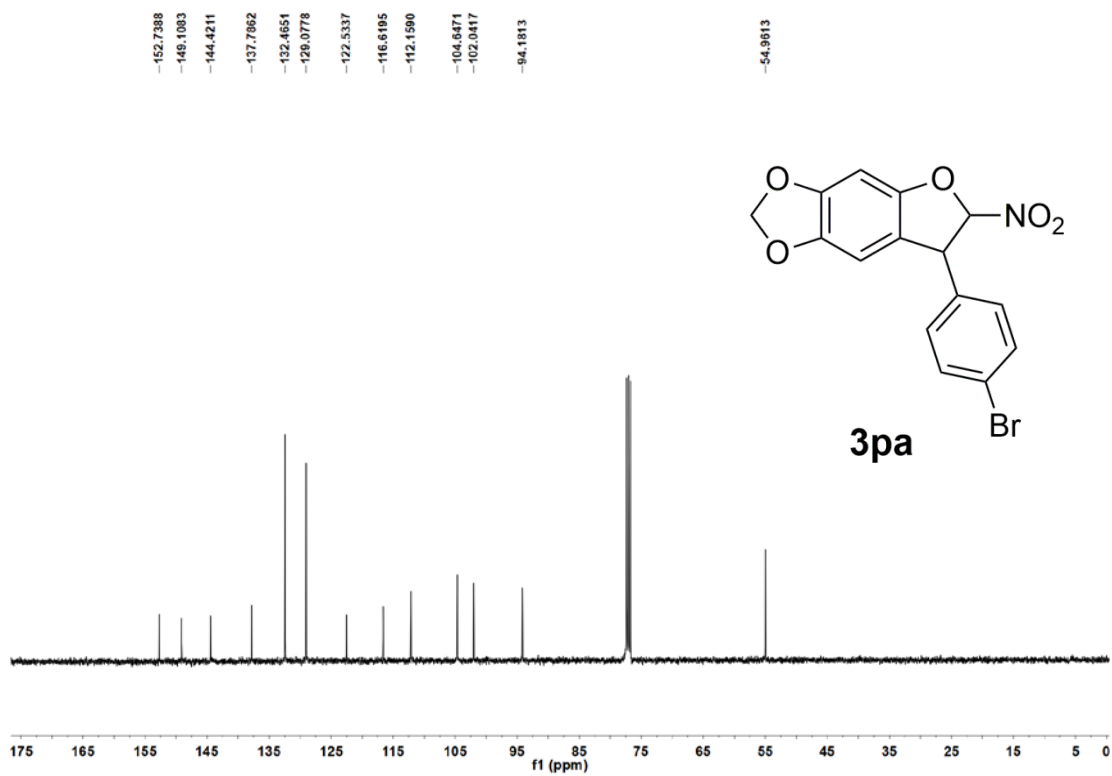
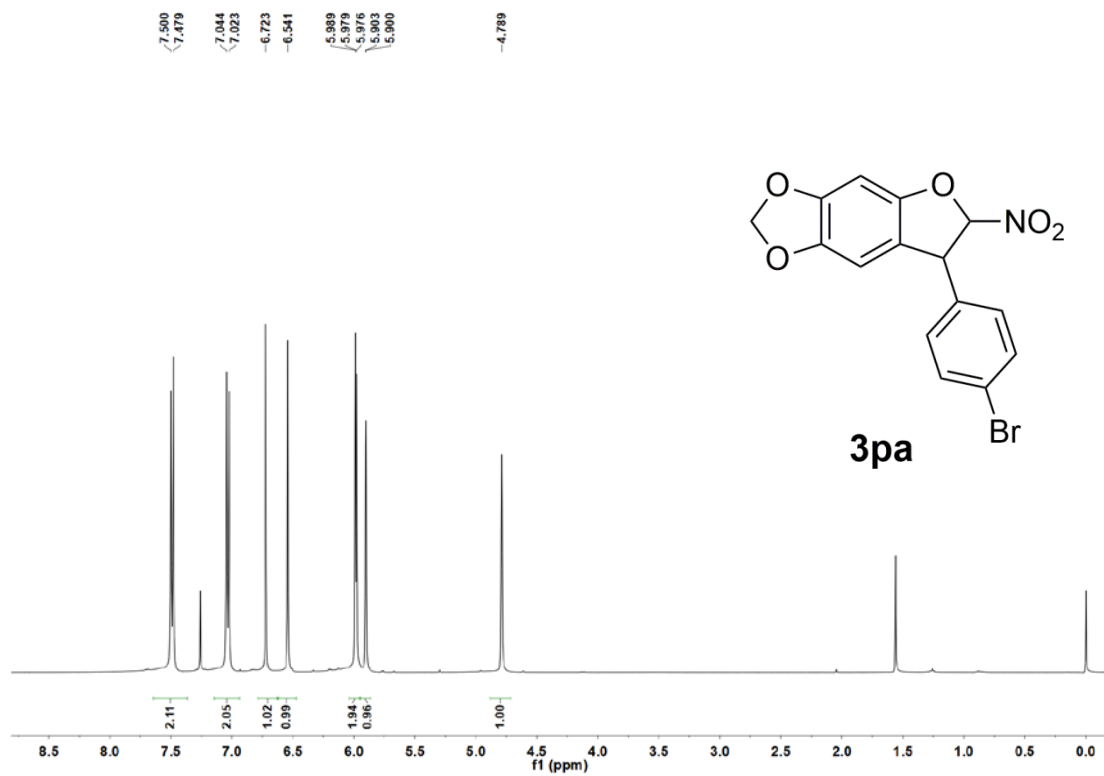


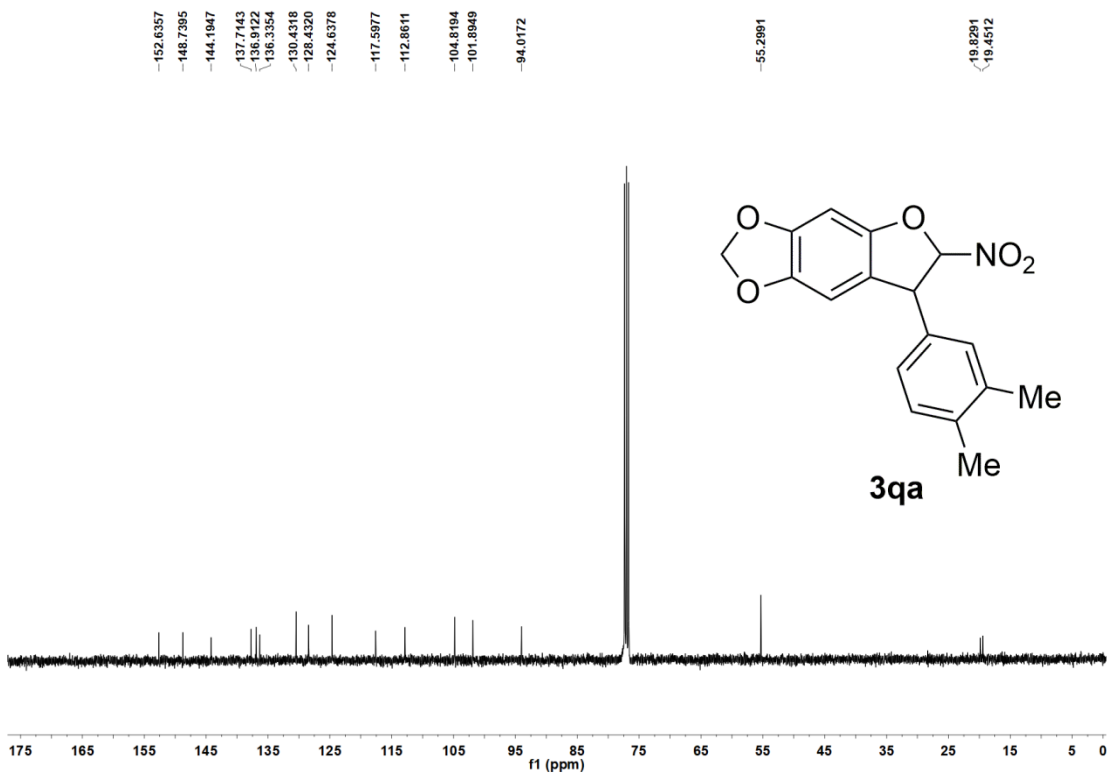
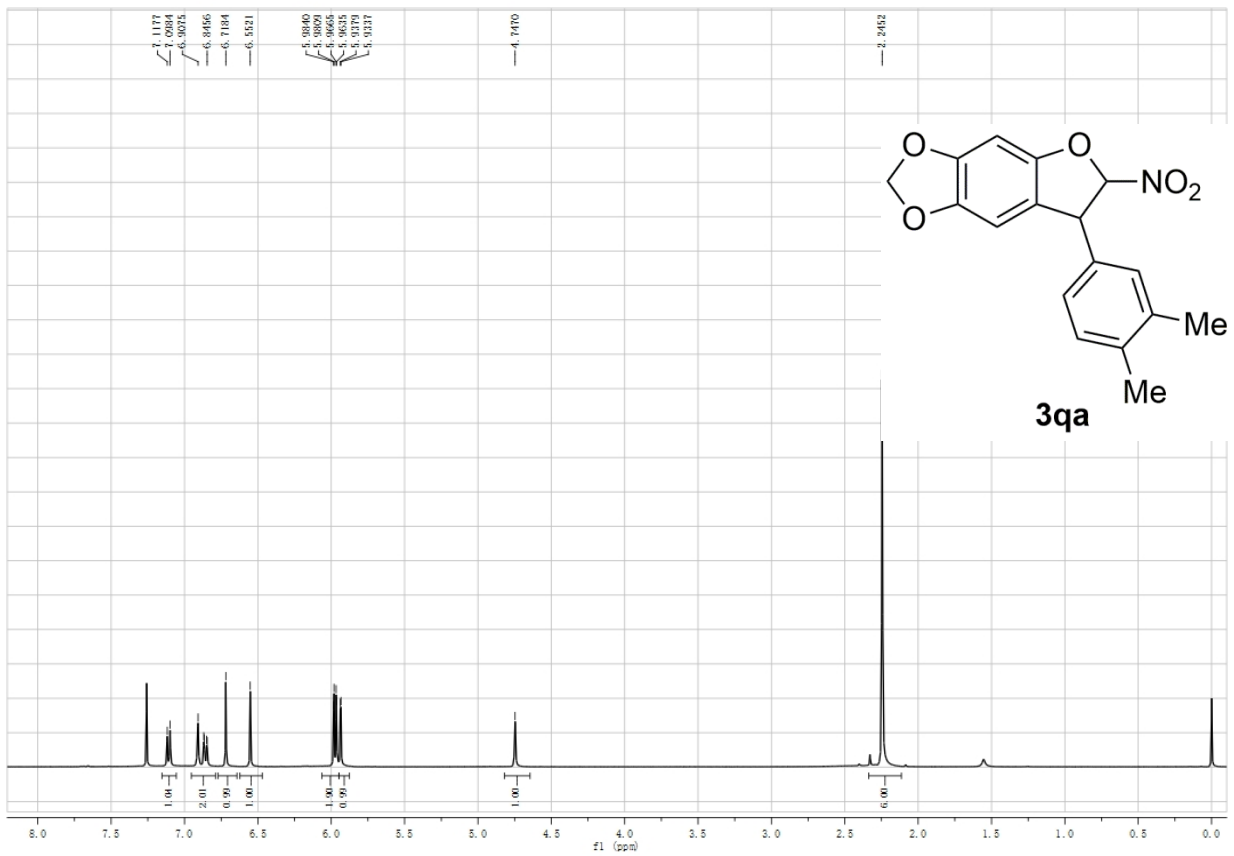


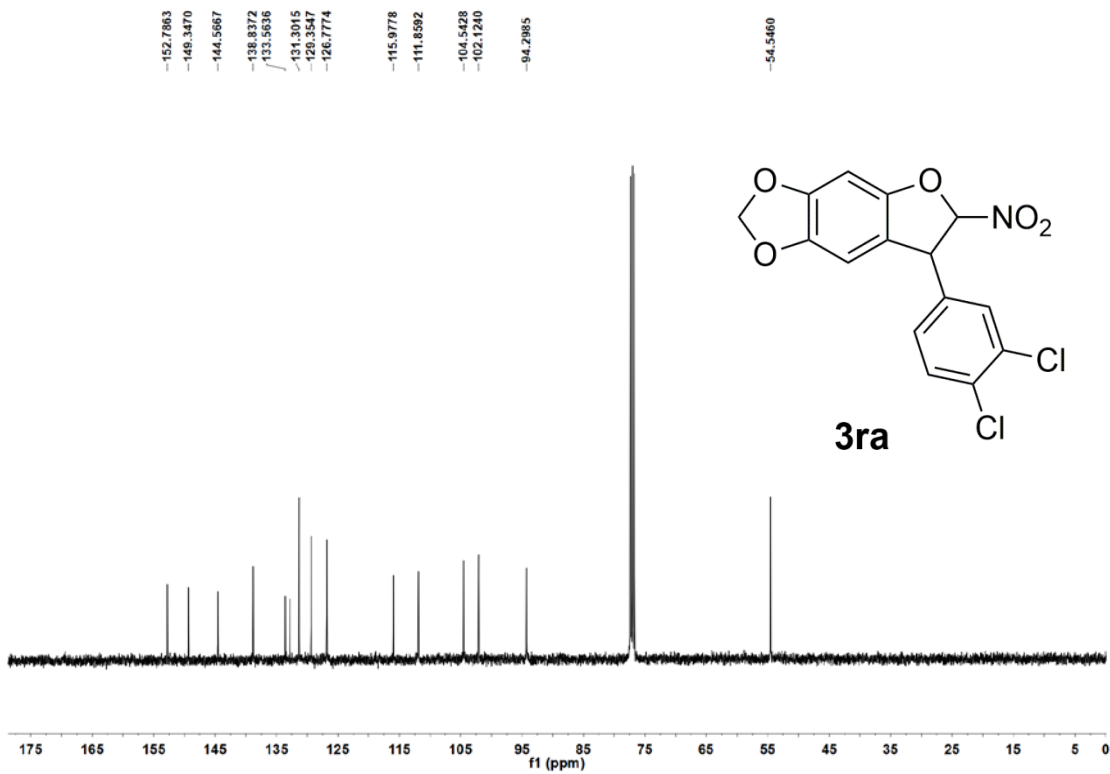
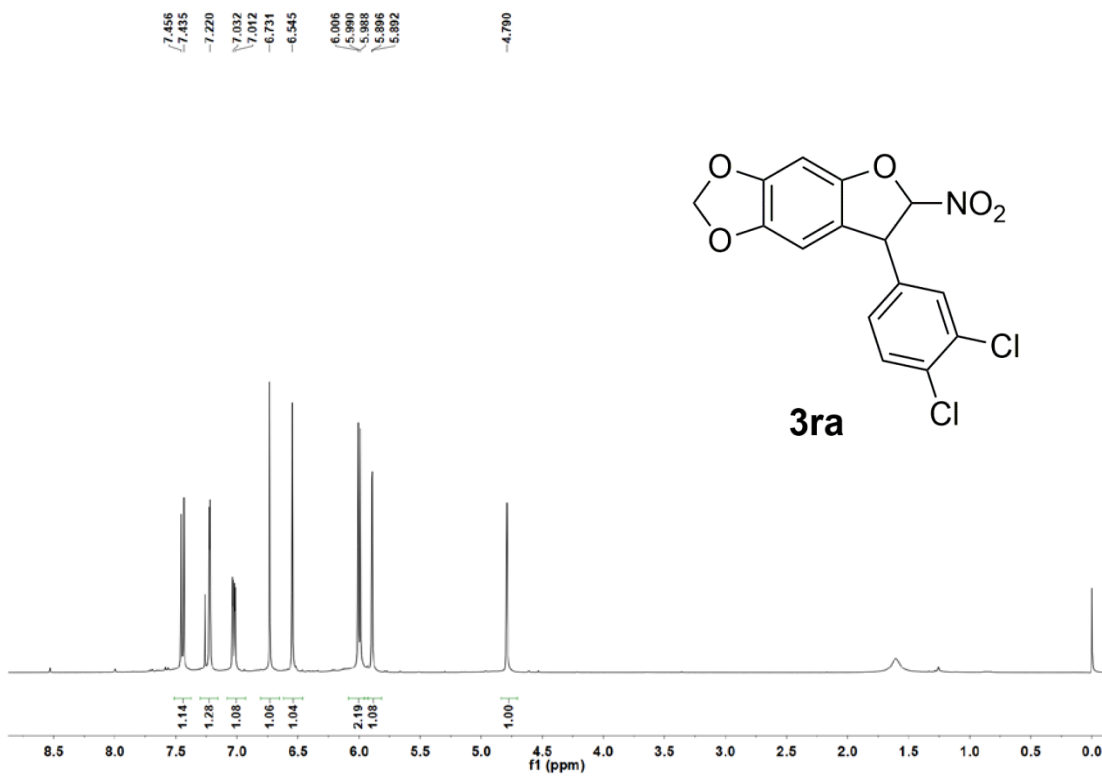
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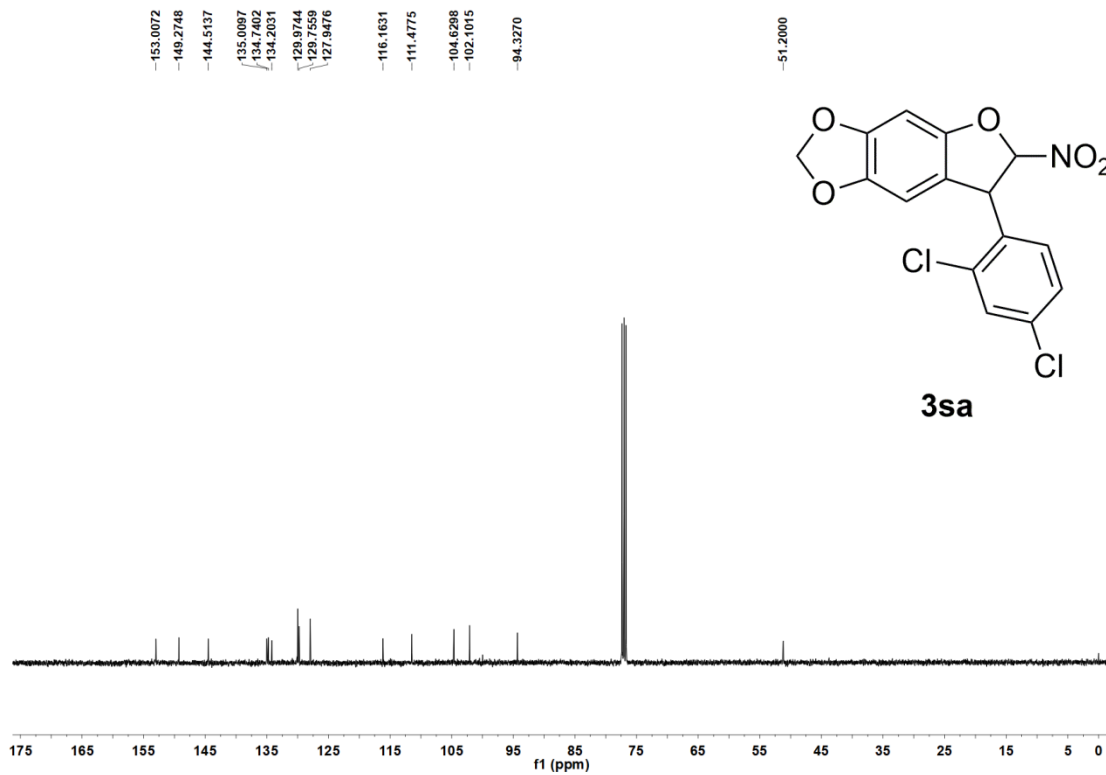
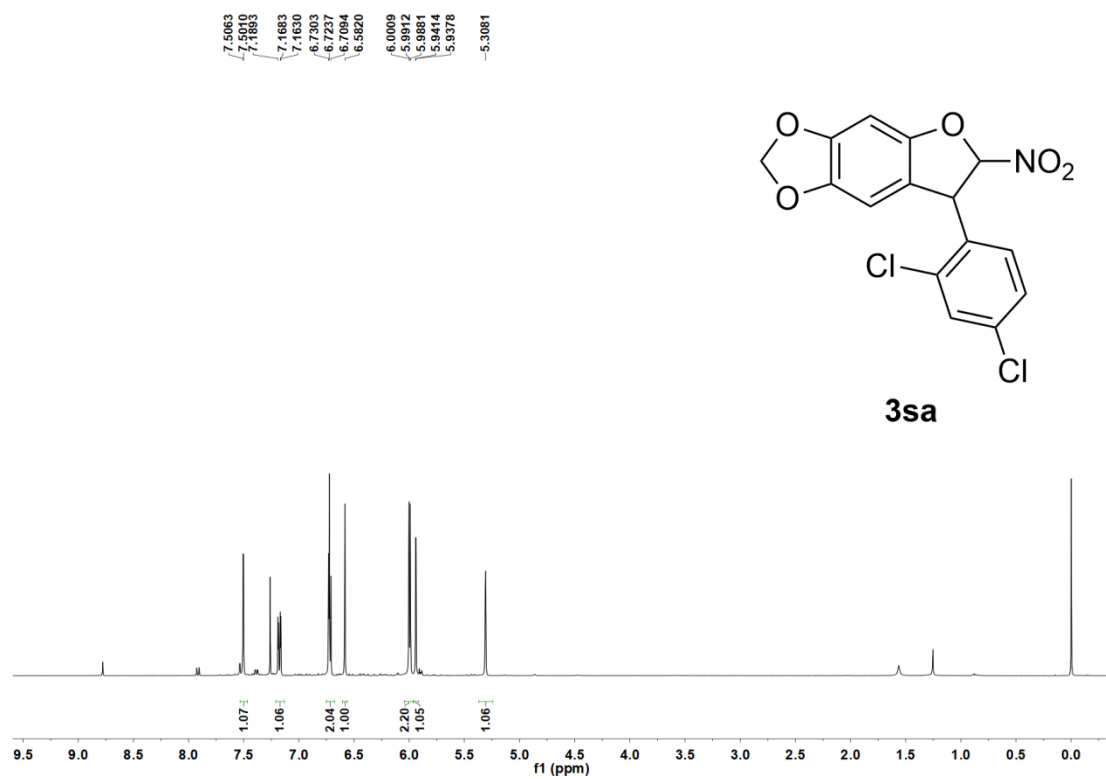


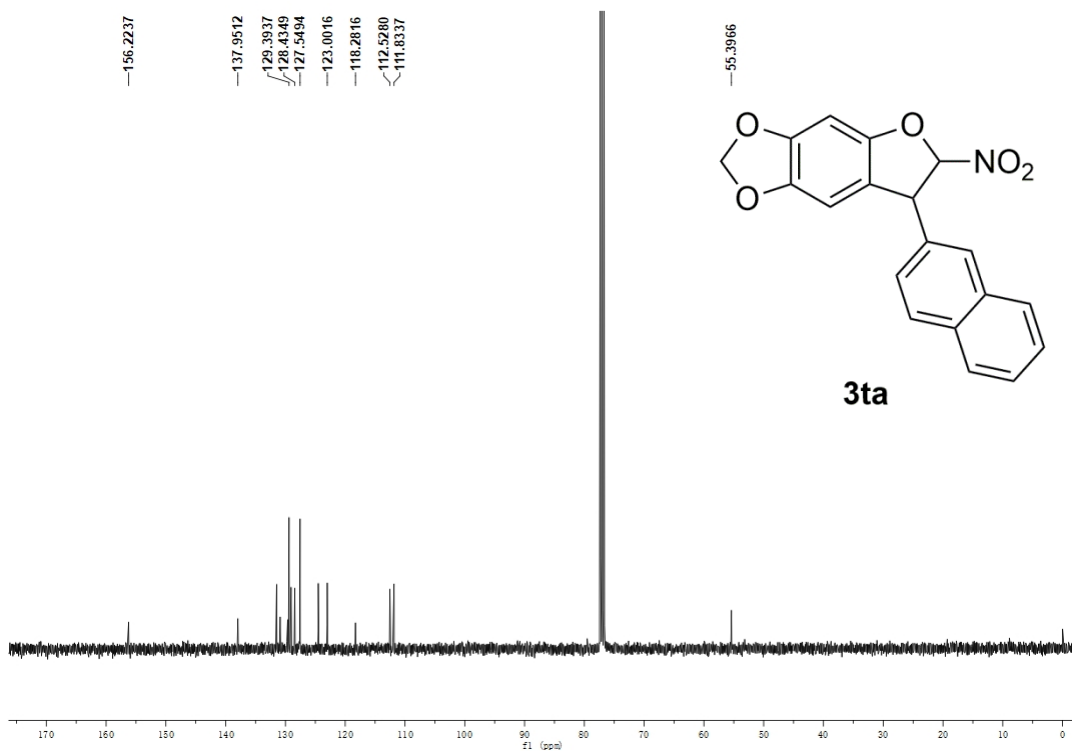
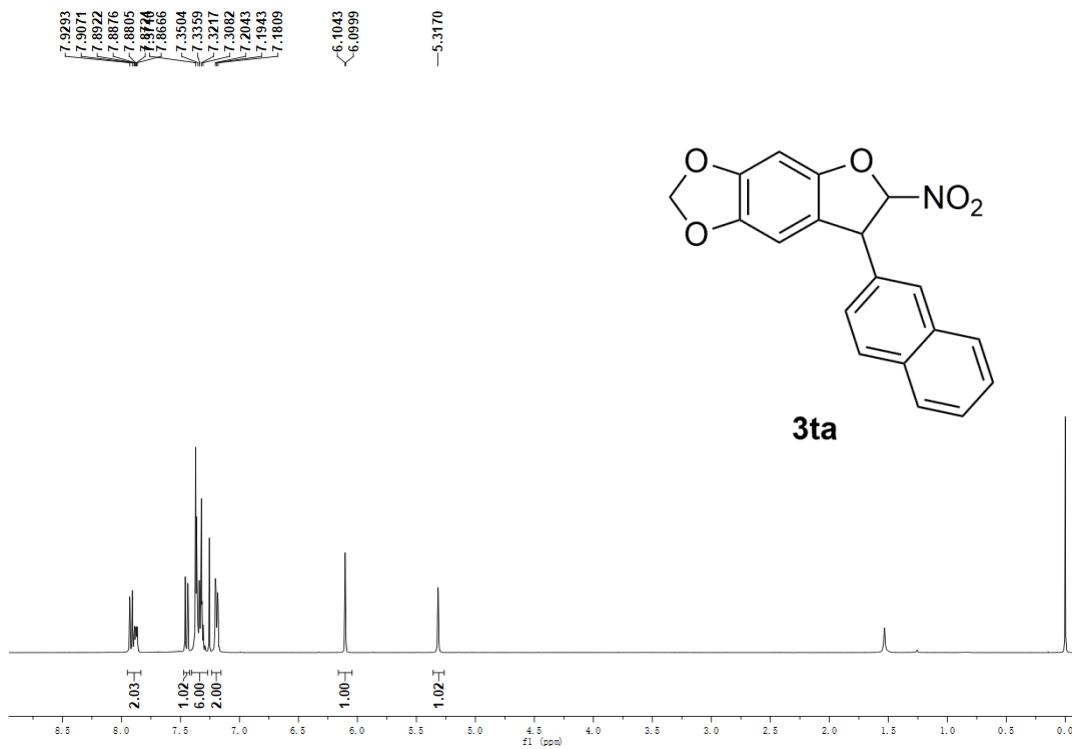
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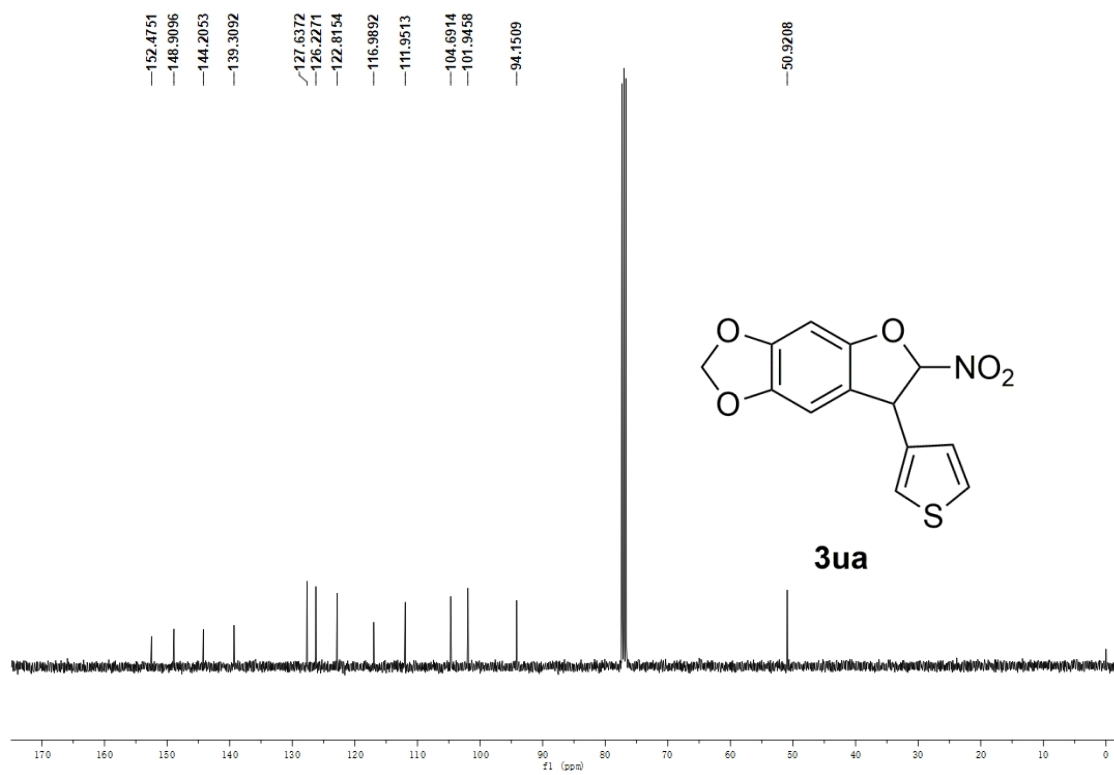
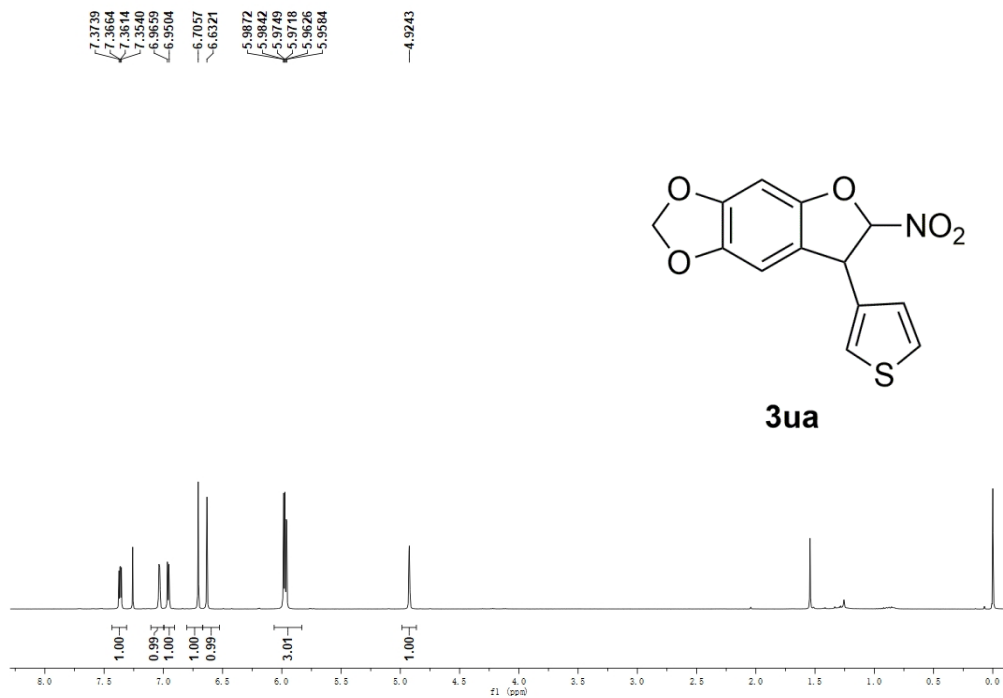


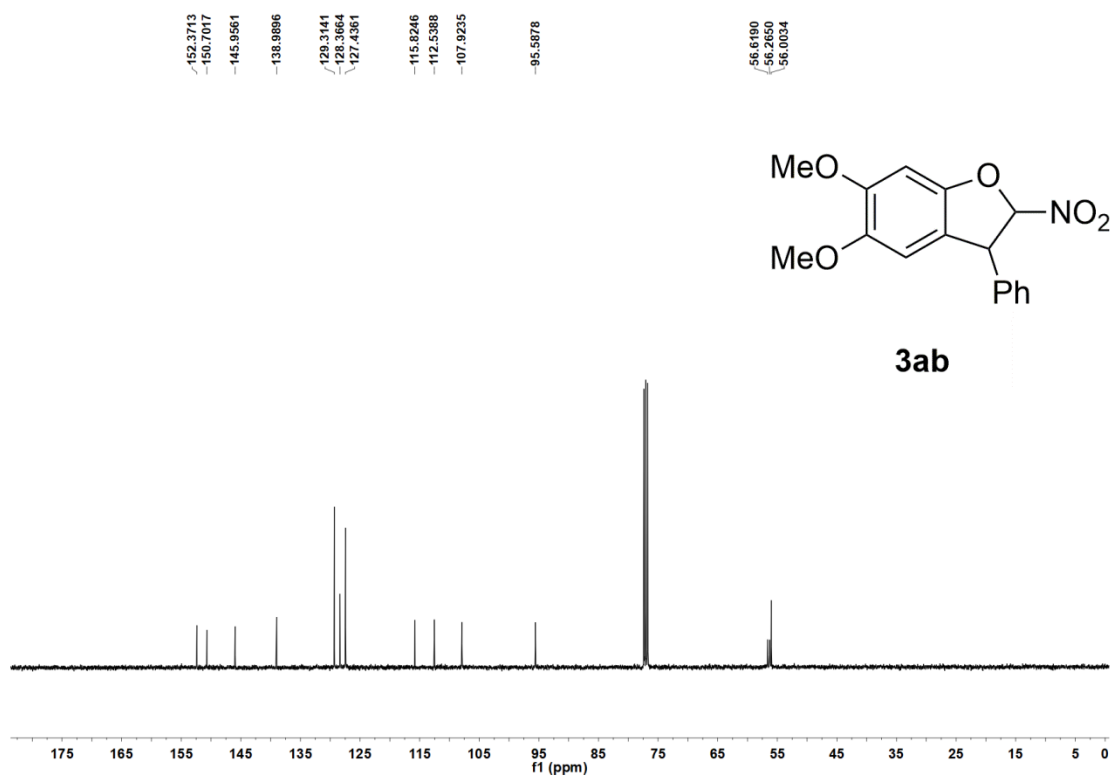
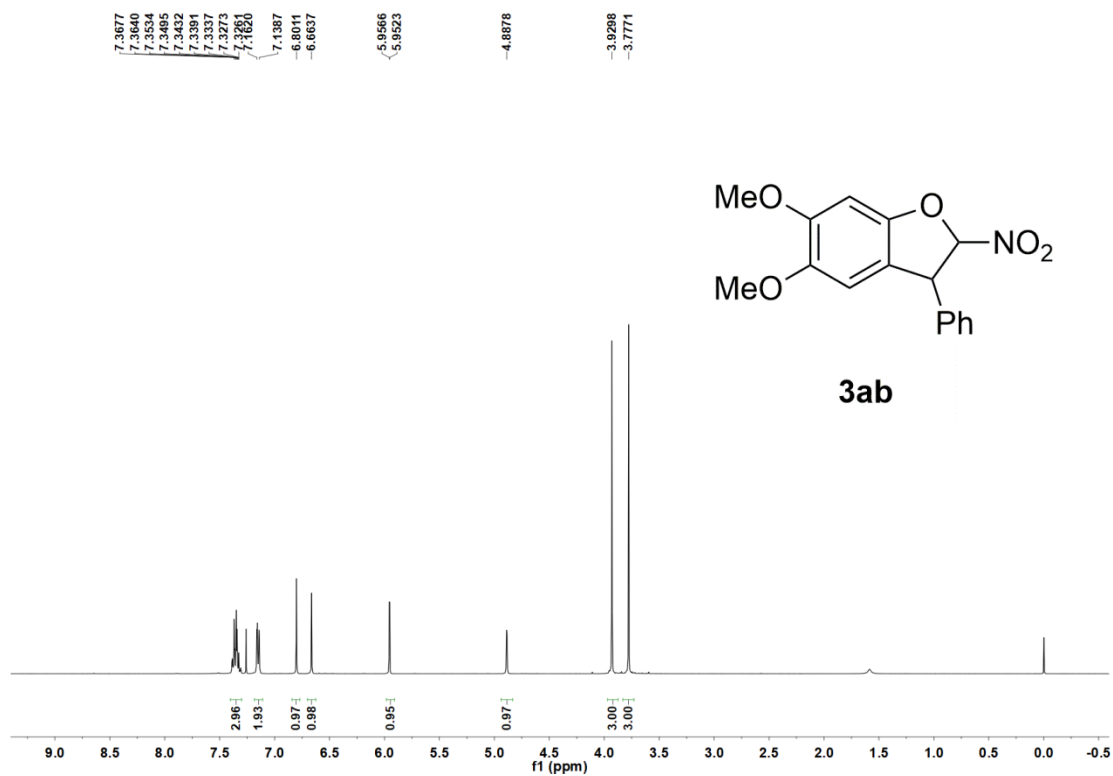


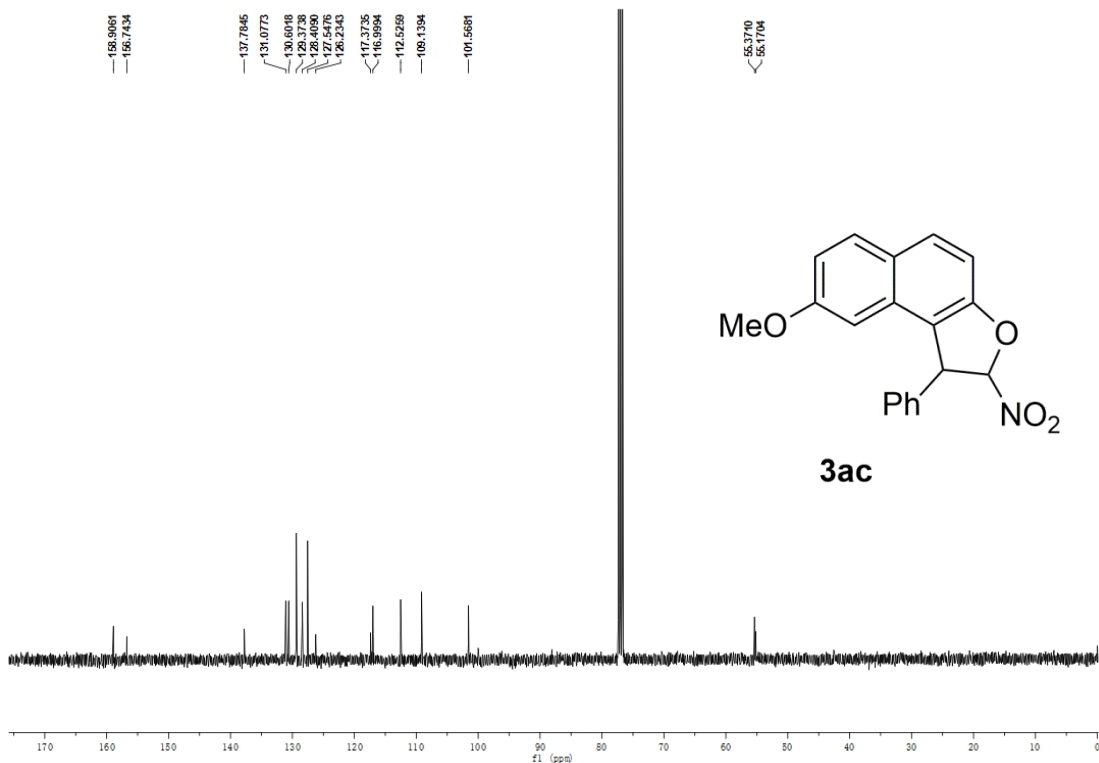
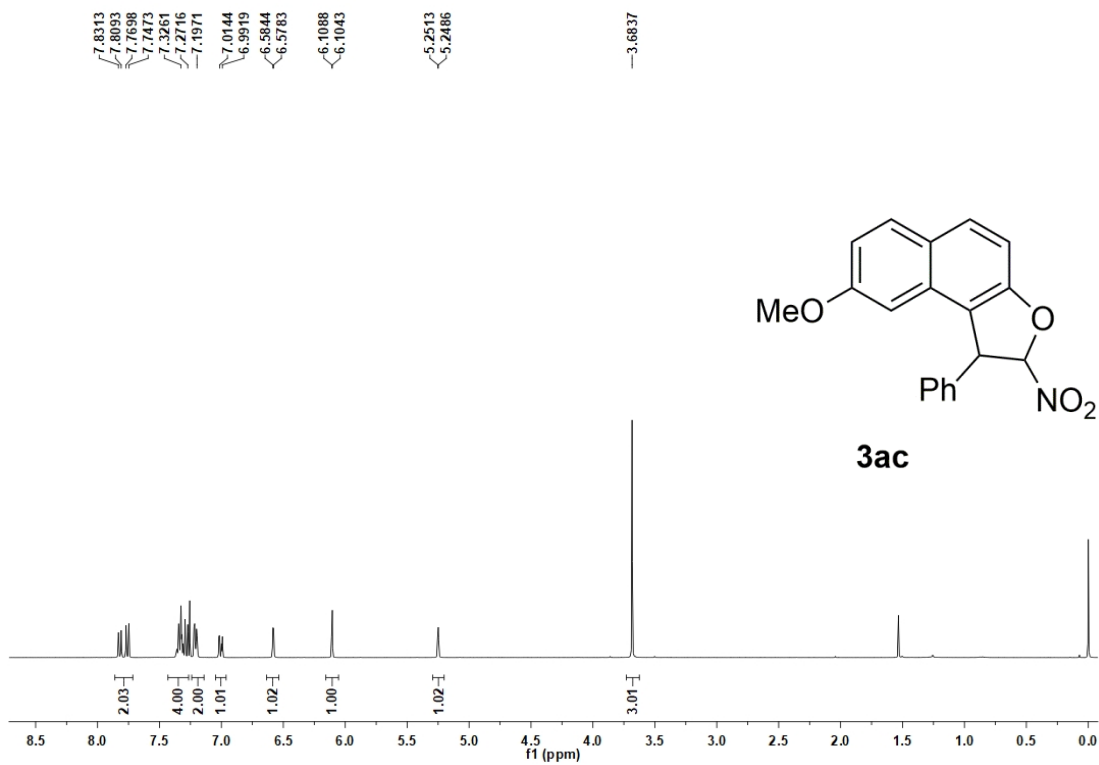


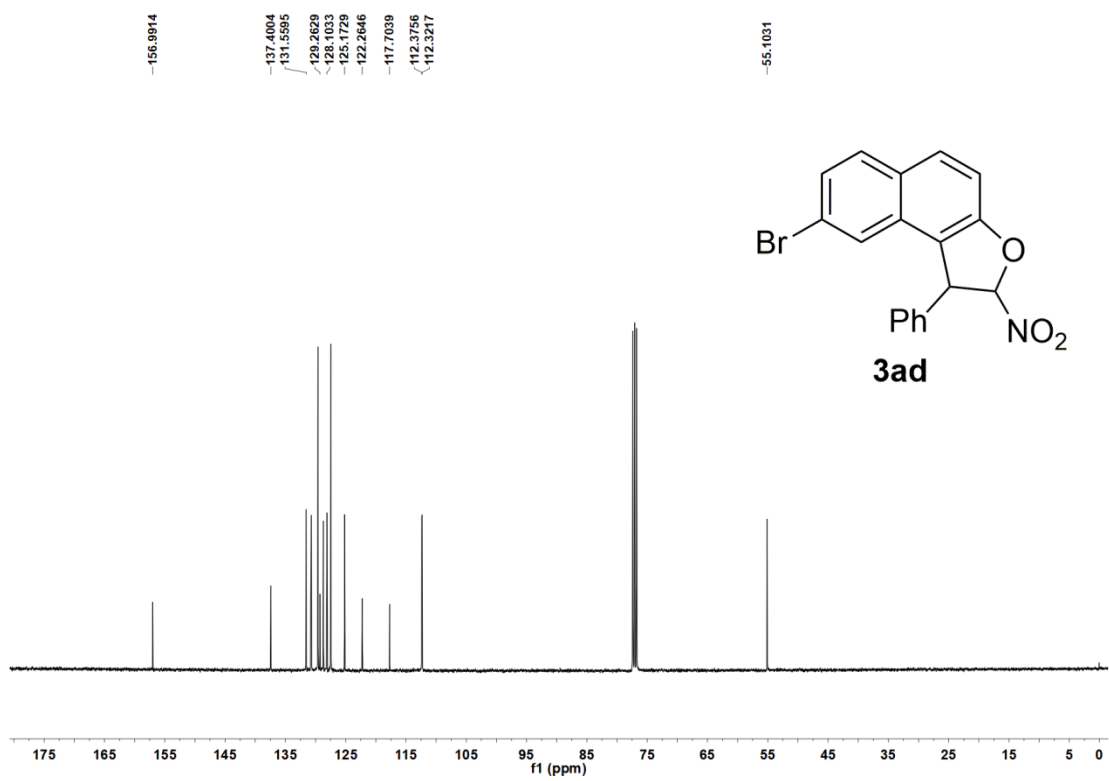
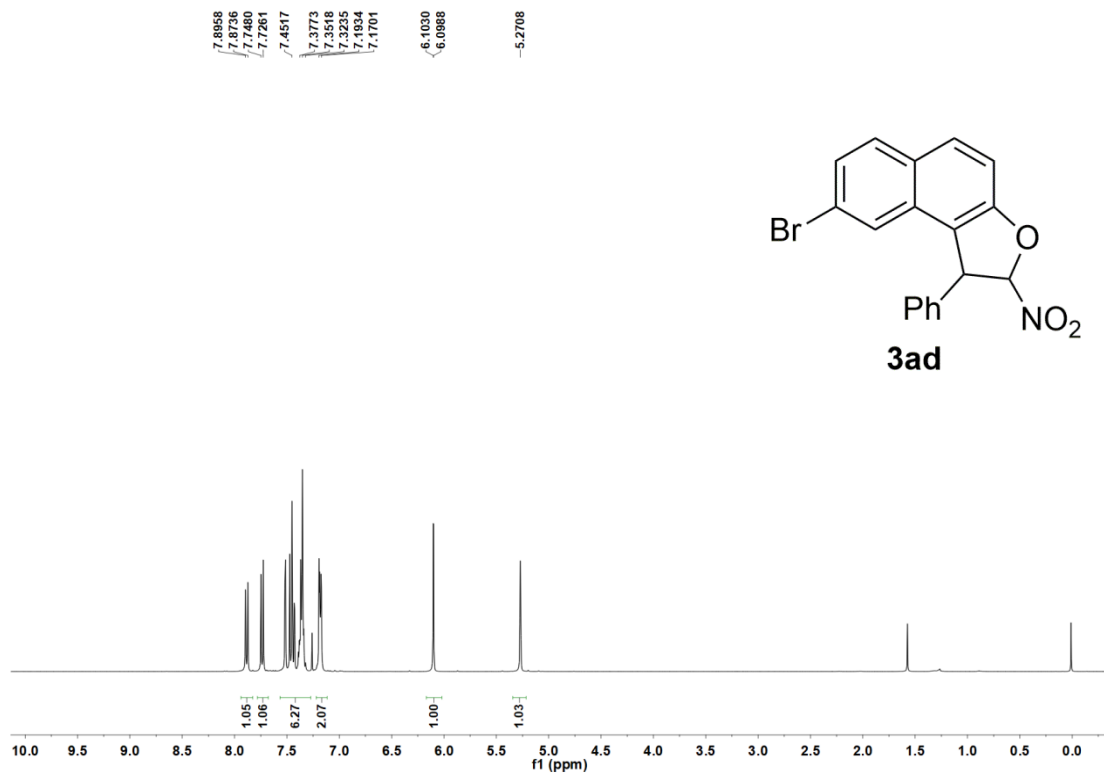


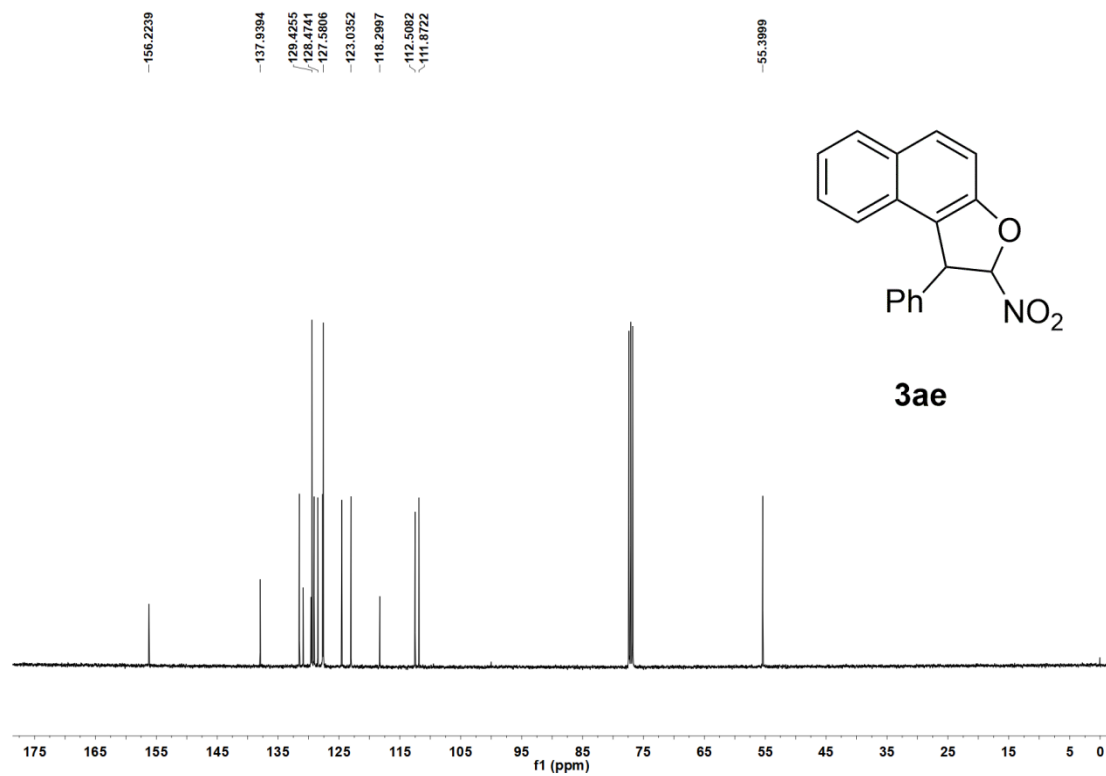
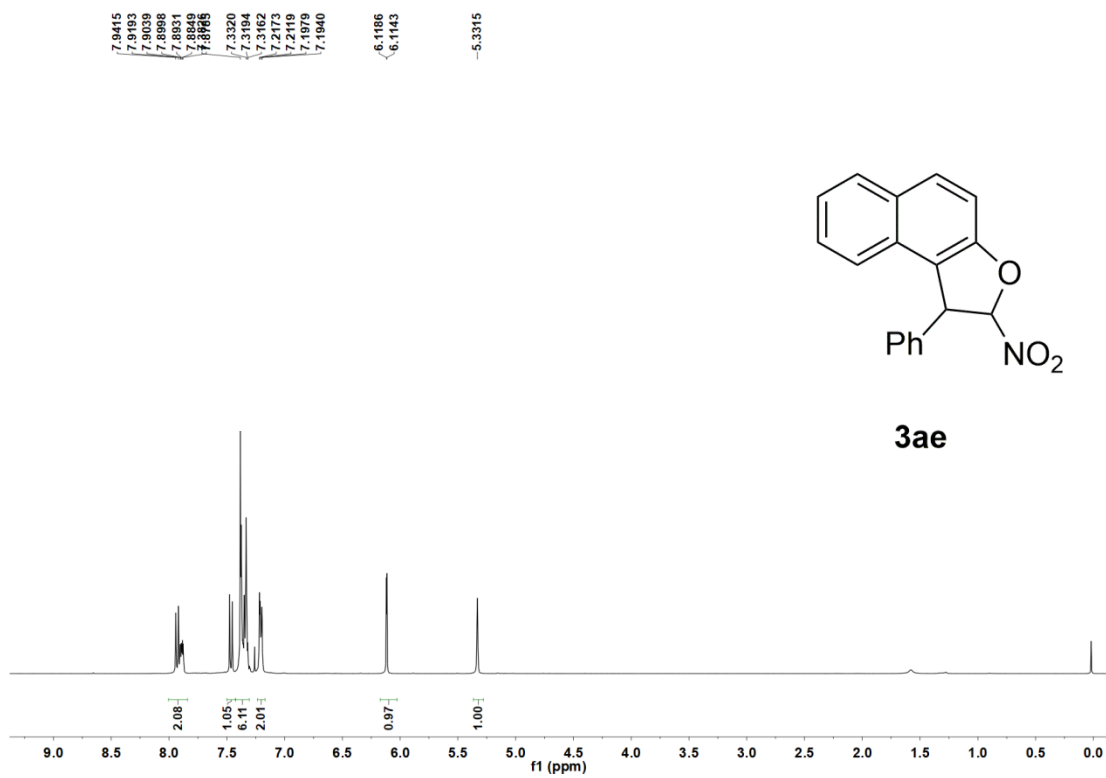


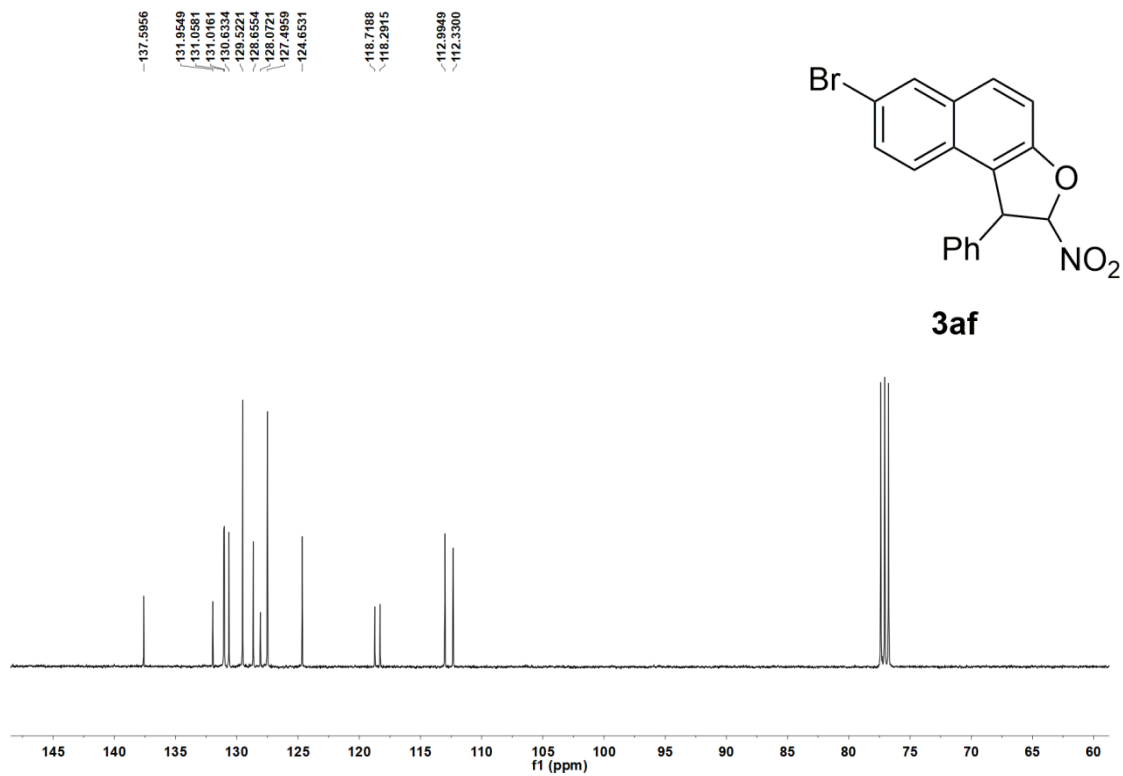
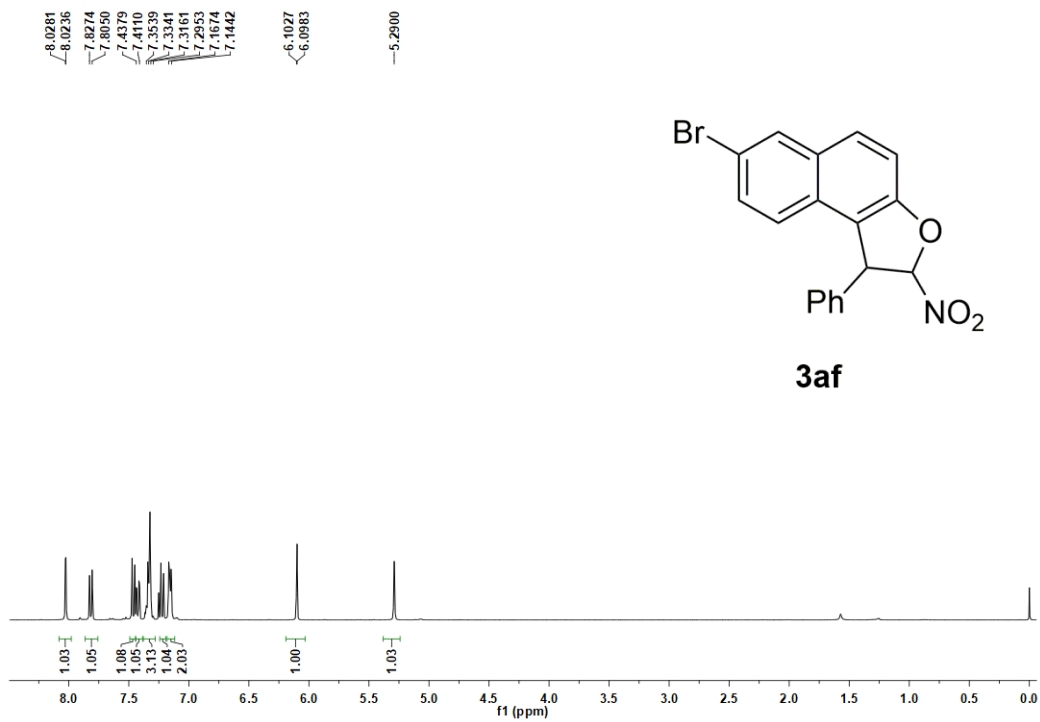


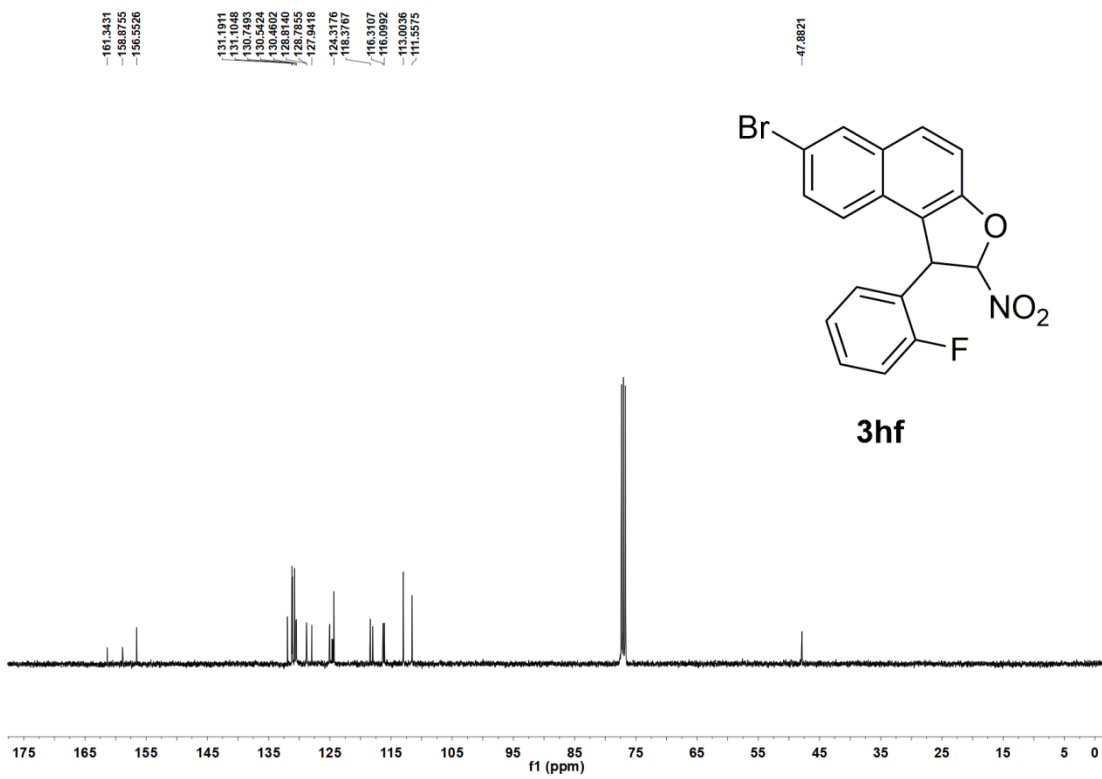
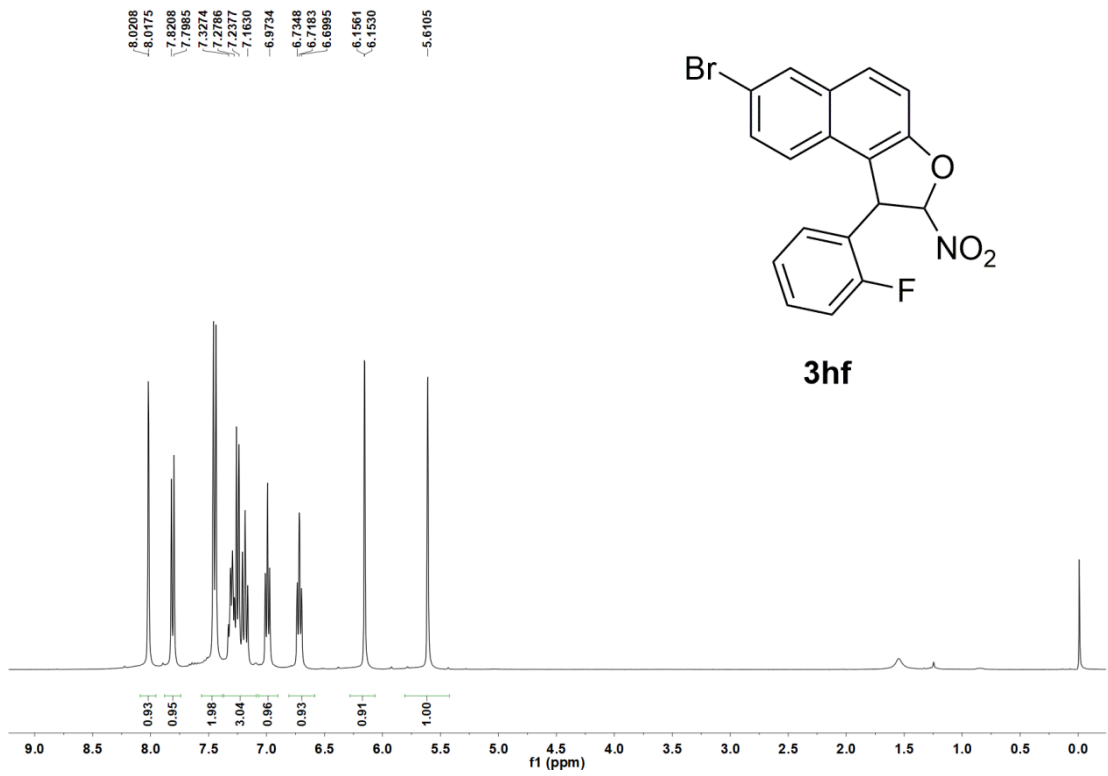


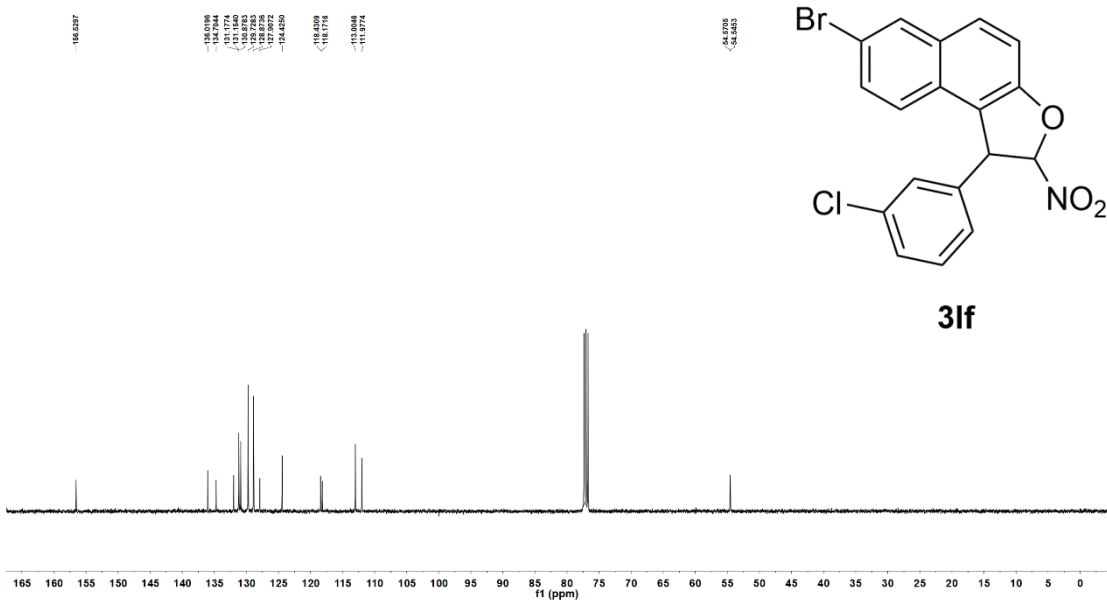
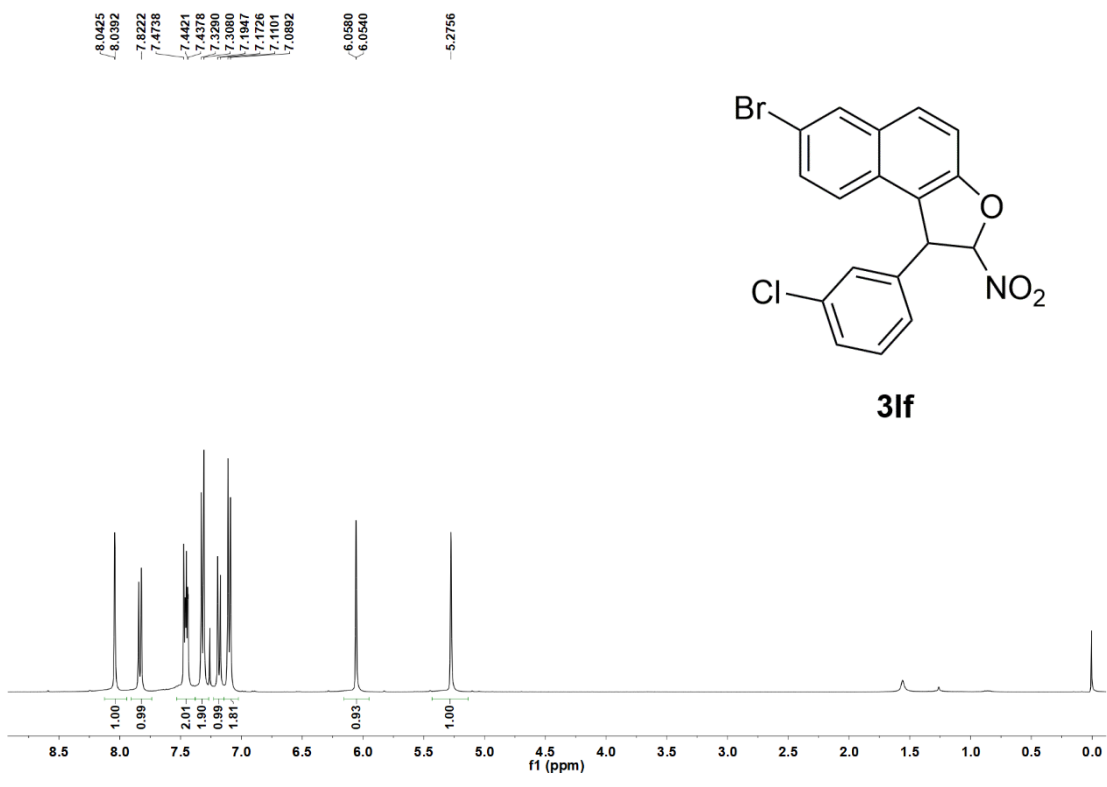


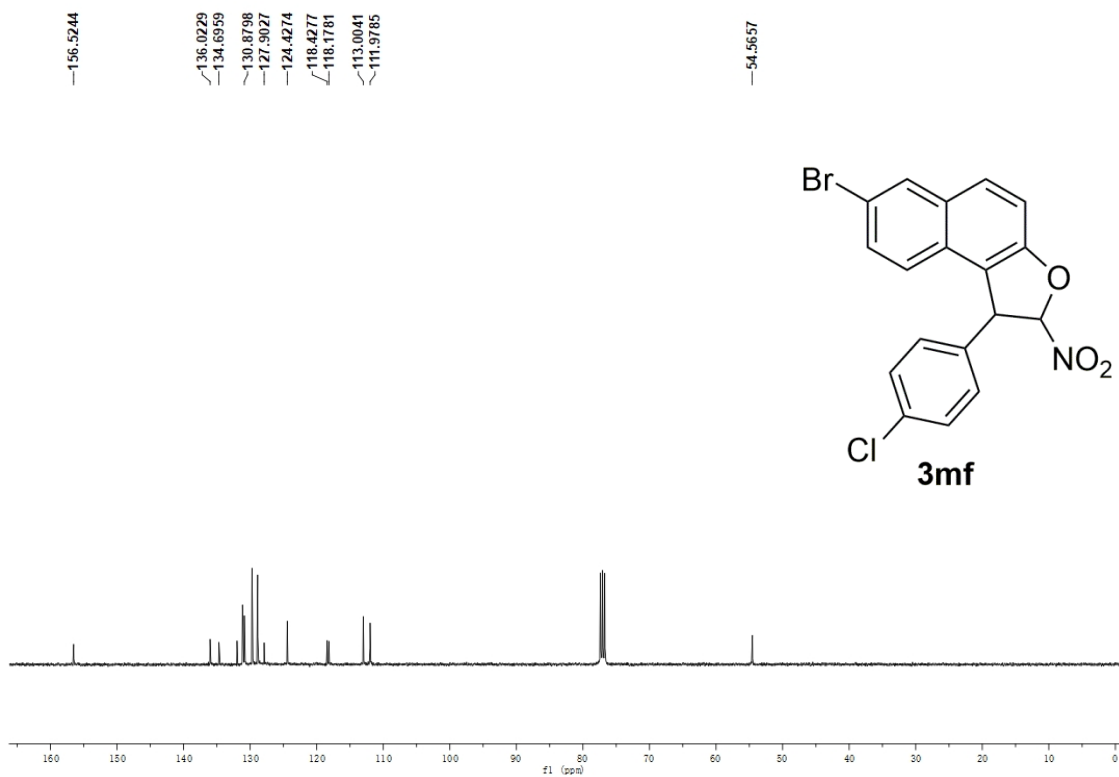
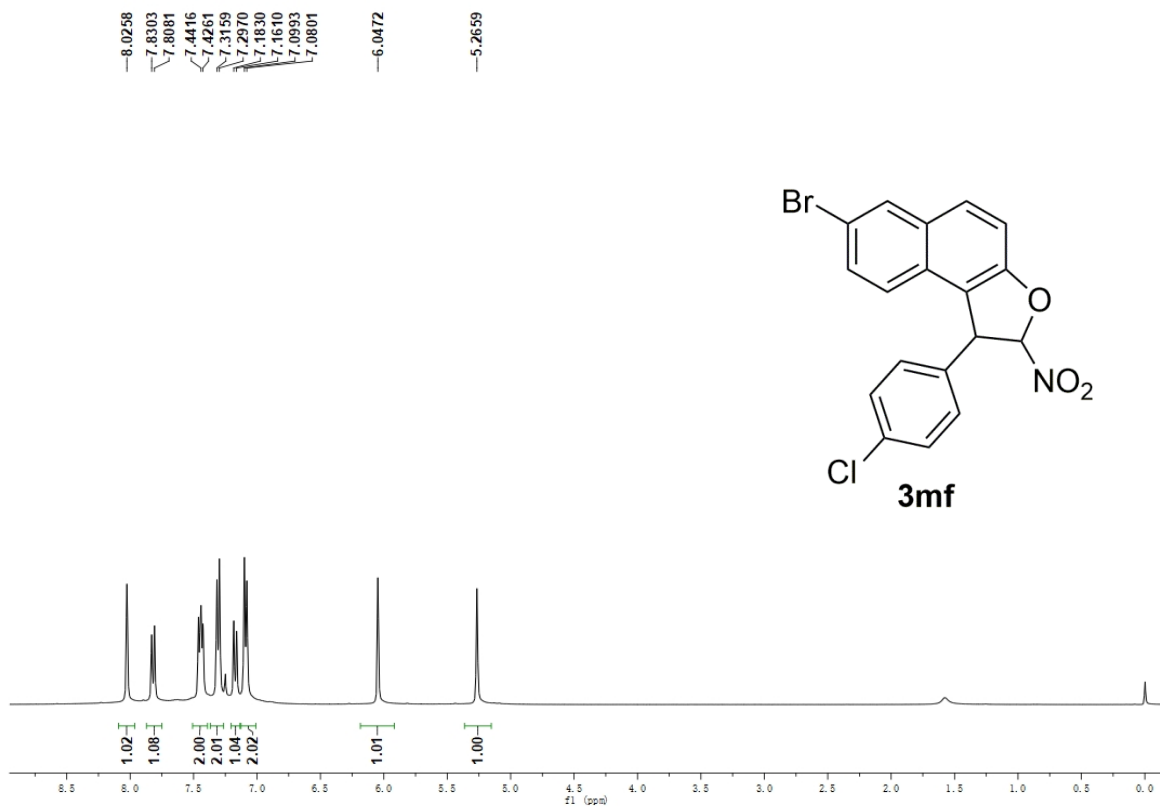


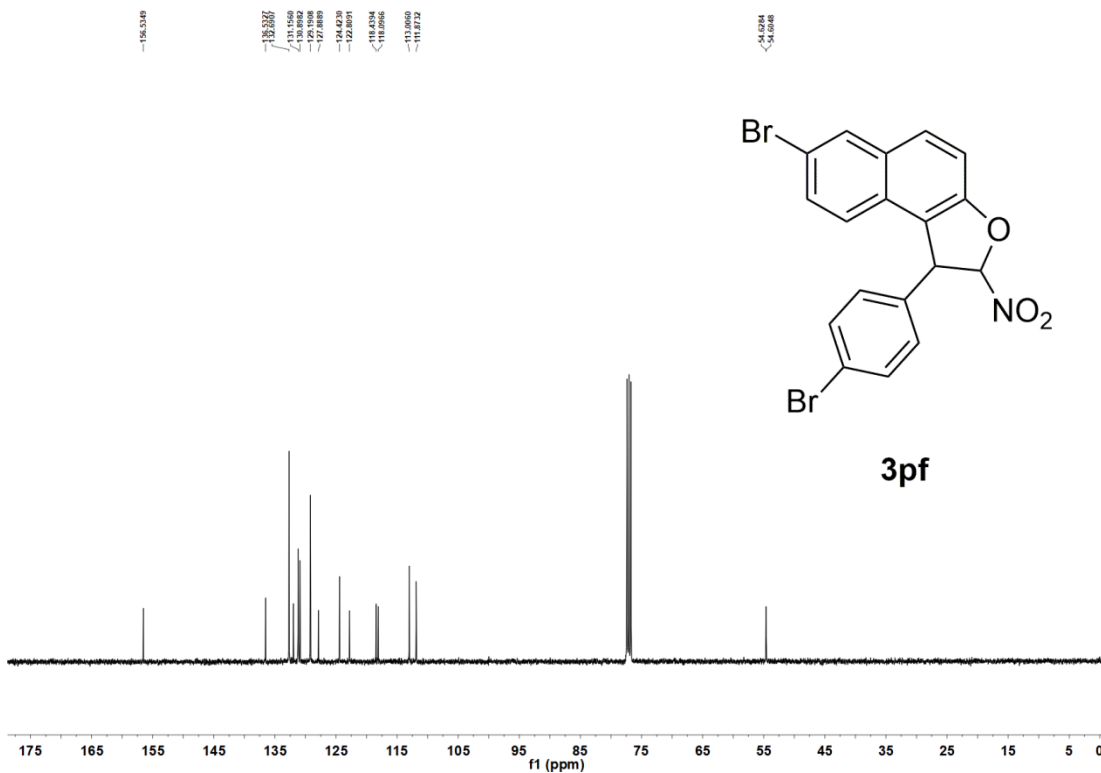
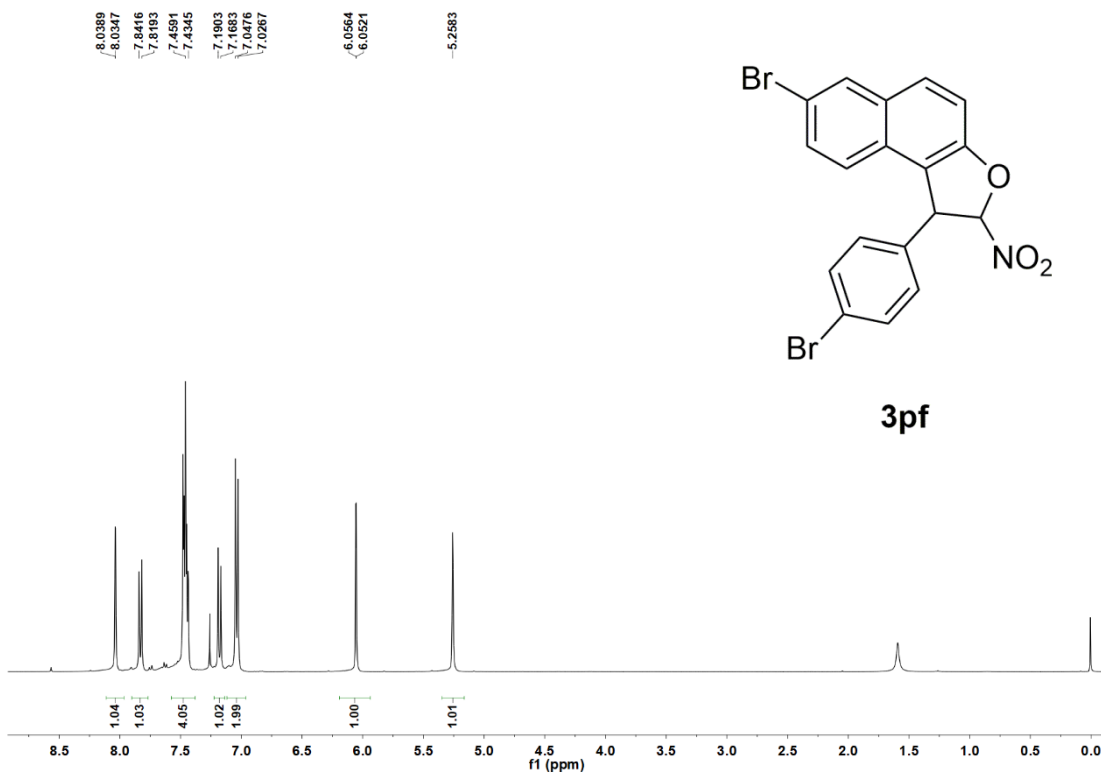


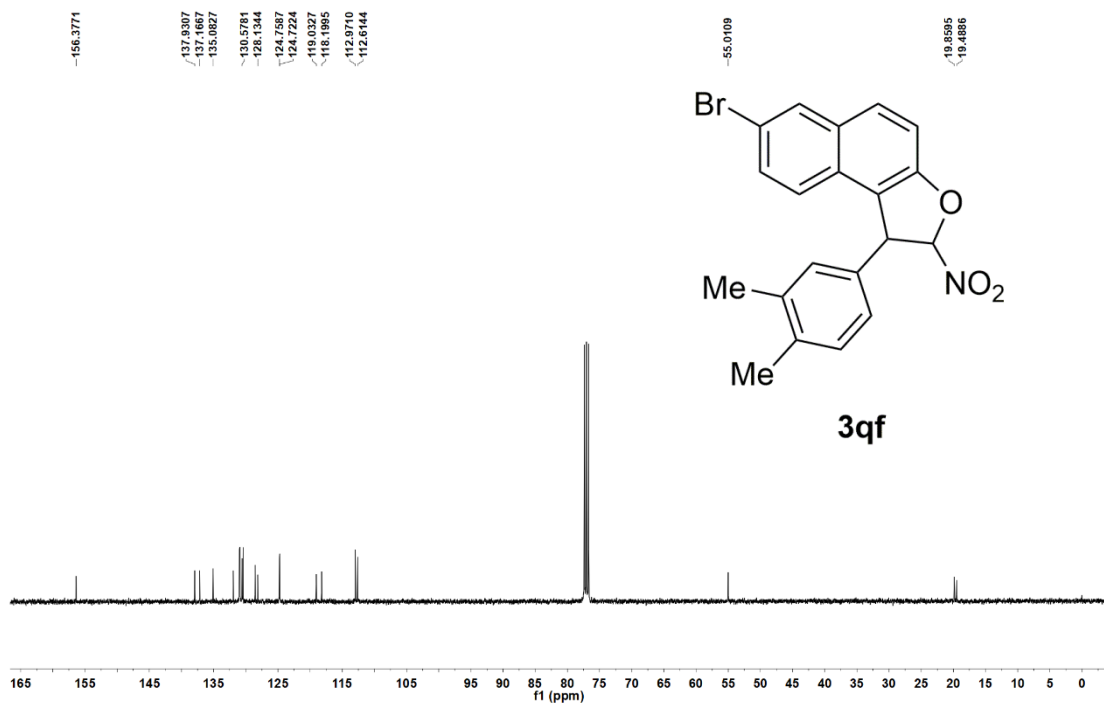
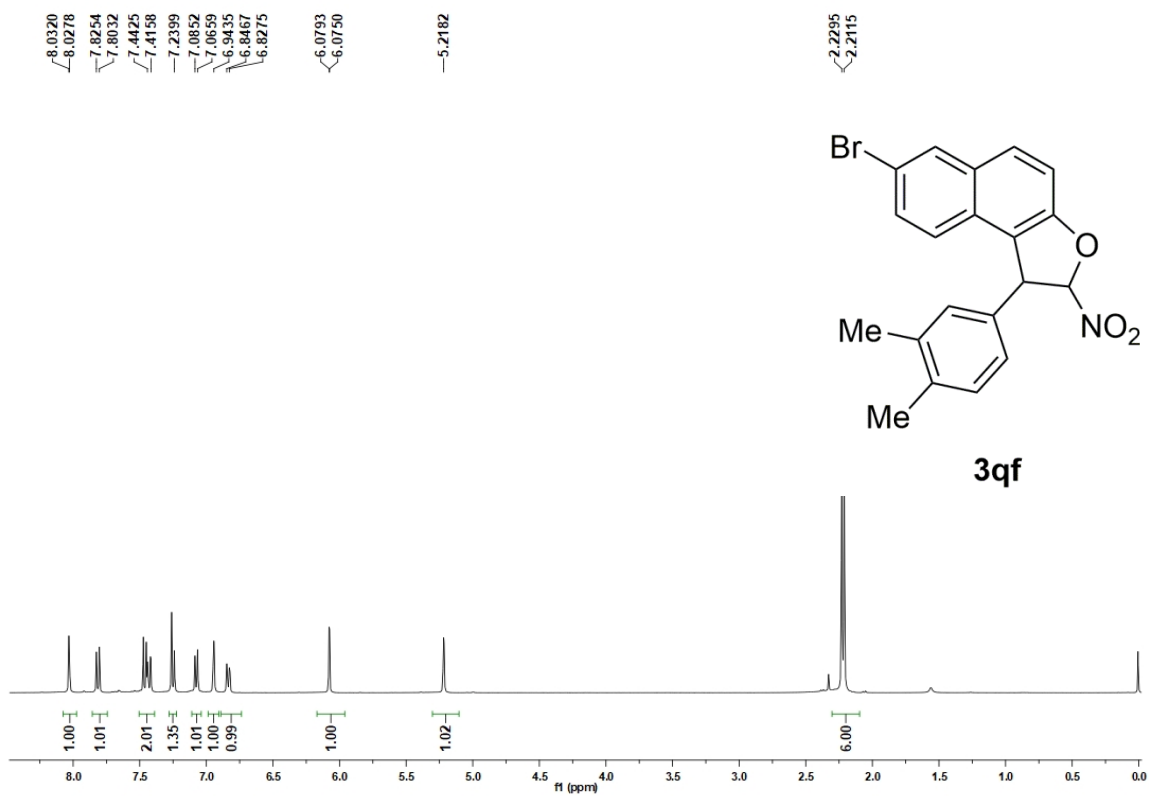






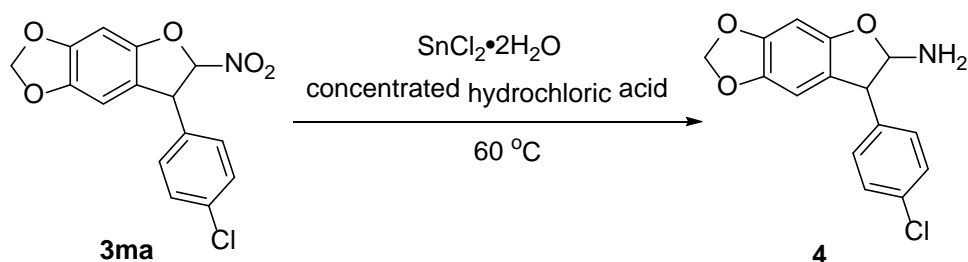






7. Synthetic Transformations

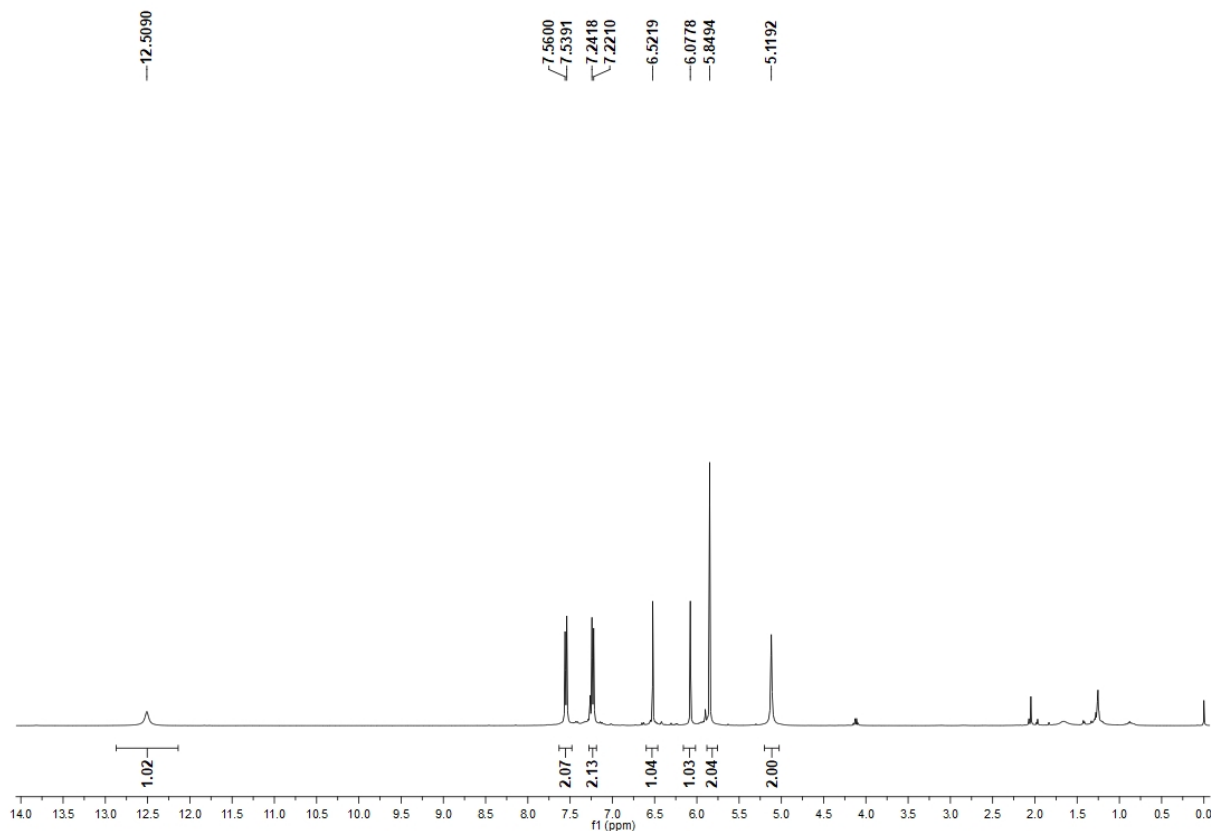
The synthetic utility of this method was briefly explored by the reduction of **3ma**. As shown in Scheme 3, the nitro group of **3ma** could be reduced to an amino group.

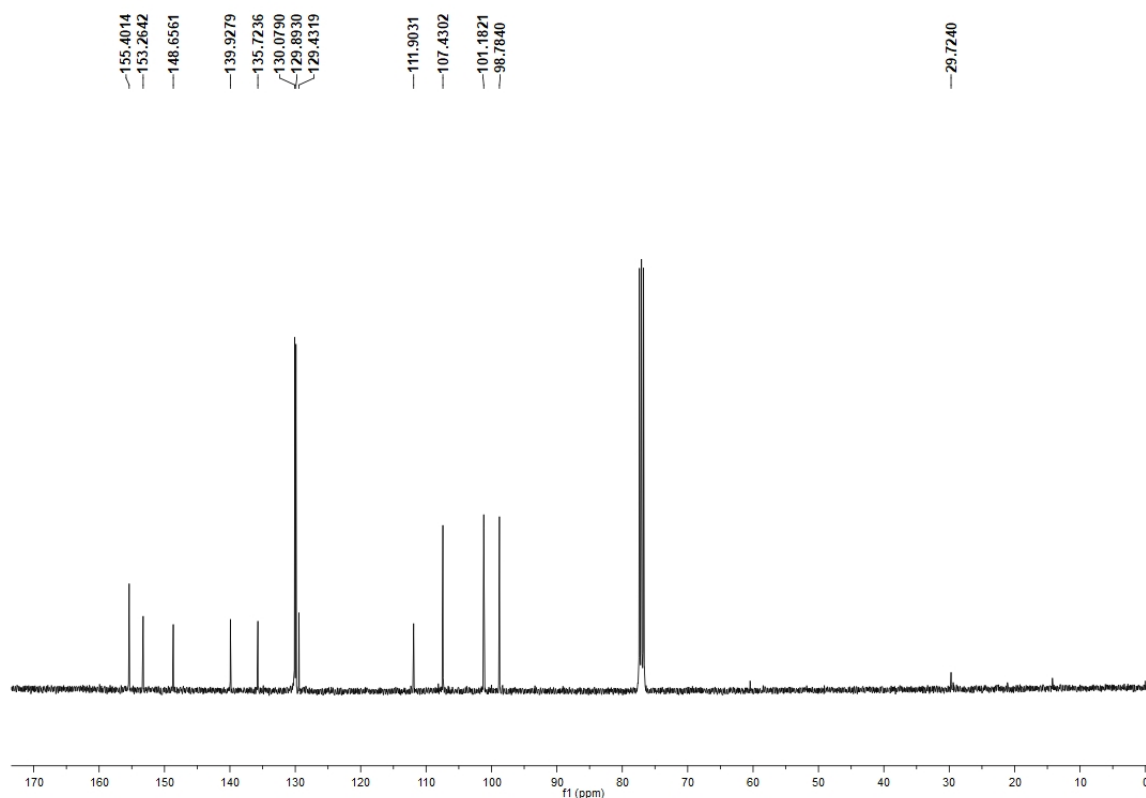


Scheme 3. Synthetic transformations

In a 50 mL round-bottomed flask equipped with a magnetic stir bar, **3ma** (0.32 g, 1.0 mmol), $\text{SnCl}_2 \cdot 2\text{H}_2\text{O}$ (1.13 g, 5.0 mmol) and concentrated hydrochloric acid (10 mL) were added. Then, the reaction was refluxed and stirred for 24 hours at $60\text{ }^\circ\text{C}$. The product was isolated by silica column chromatography using a mixture of PE/EA = 20/1, the yield was 50%.

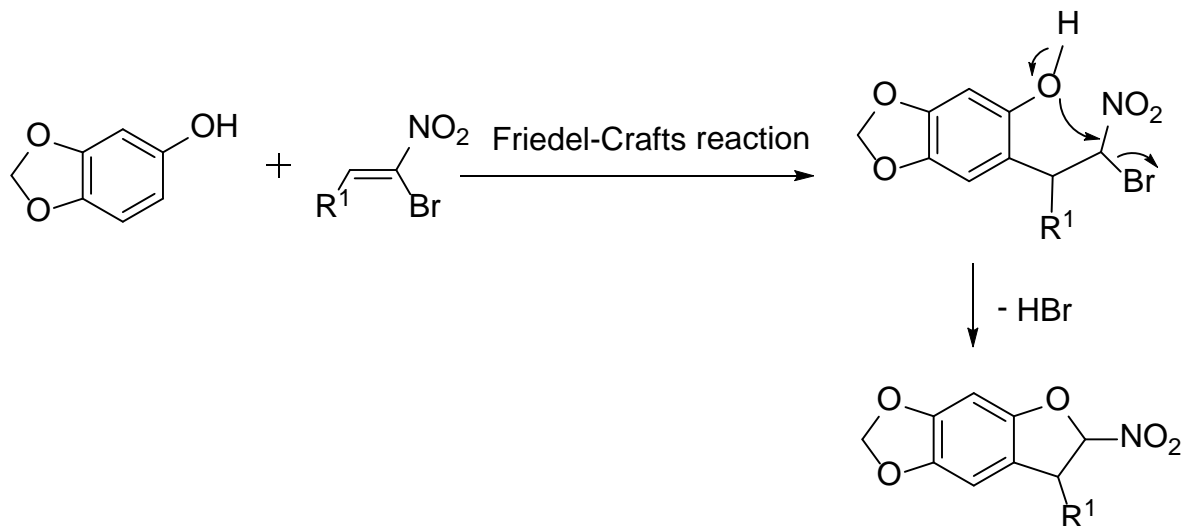
^1H NMR (400 MHz, CDCl_3) δ = 12.51 (br, 1H), 7.55 (d, $J=8.3$, 2H), 7.23 (d, $J = 8.3$ Hz, 2H), 6.52 (s, 1H), 6.08 (s, 1H), 5.85 (s, 2H), 5.12 (br, 2H). ^{13}C NMR (101 MHz, CDCl_3) δ = 155.40, 153.26, 148.66, 139.93, 135.72, 130.08, 129.89, 129.43, 111.90, 107.43, 101.18, 98.78, 29.72. MS (ESI⁺): calcd. for $\text{C}_{15}\text{H}_{12}^{34,9689}\text{ClNO}_3$: $[\text{M}+\text{H}]^+$: 290.0578, found 290.0582.





8. Synthetic Approach

The synthetic approach to *trans*-3-aryl-2-nitro-2,3-dihydrobenzofurans could be described as follow.



Scheme 4. Synthetic approach

9. Reference

[1] a) W. E. Parham, J. L. Bleasdale, *J. Am. Chem. Soc.* **1951**, *73*, 4664-4666; b) J. G. Greger, S. J. P. Yoon-Miller, N. R. Bechtold, S. A. Flewelling, J. P. MacDonald, C. R. Downey, E. A. Cohen, E. T. Pelkey *J. Org. Chem.* **2011**, *76*, 8203-8214.