

Supplementary Information

Reusable Polymer Anchored Pyridine Mediated Formal [4+1] Annulation Reaction for the Diastereoselective Synthesis of 2,3-Dihydrobenzofurans

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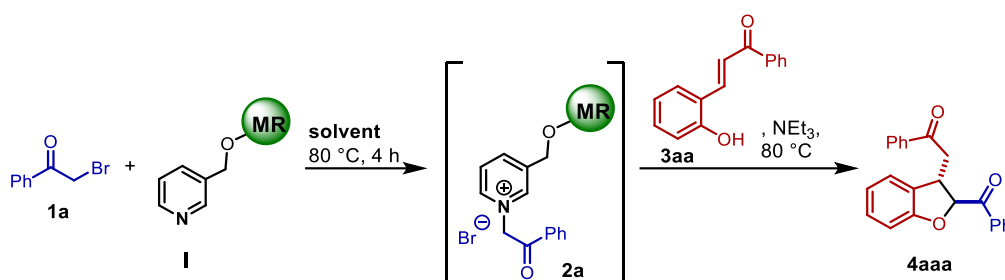
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1. General Information

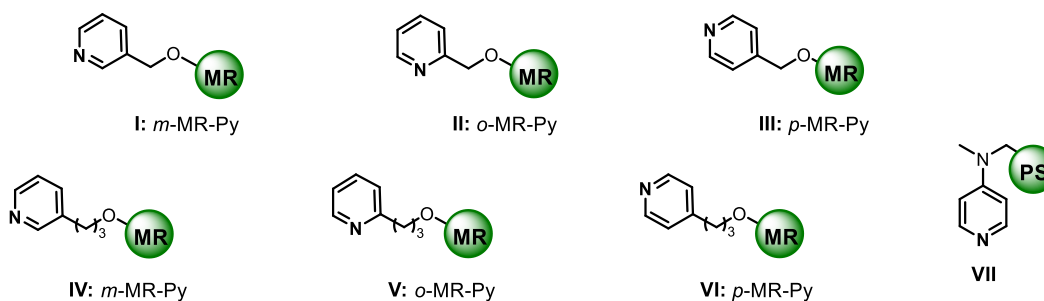
Unless otherwise noted, all reactions were carried out in a closed vial. ^1H NMR spectra were recorded on a 500 MHz spectrometer (125 MHz for ^{13}C NMR). The following abbreviations were used to designate chemical shift multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. TLC was performed with silica gel GF₂₅₄ precoated on aluminium plates and spots were visualized with UV. Flash column chromatography was performed on silica gel (100-200 mesh). IR spectra were recorded on an FT-IR spectrometer and only major peaks were reported in cm^{-1} . High-resolution mass spectra (HRMS) were obtained by the ESI-TOF method. *ortho*-hydroxychalcones **3** derivatives were prepared according to the reported methods.¹ All the Merrifield resin anchored pyridines were synthesized according to the reported method.² All the other reagents were purchased from commercial sources and used as received unless specified. 4-(Dimethylamino) pyridine, polymer-bound extent of labelling: ~3.0 mmol/g DMAP loading, matrix crosslinked with 2% DVB purchased from Sigma Aldrich. Chloromethyl polystyrene resin cross-linked with 1% DVB (100-200 mesh, 2.0-3.0 mmol/g) purchased from TCI chemicals.

2. Optimization of cascade reaction with MR-Py mediator

Table S1. Solvent optimization^a

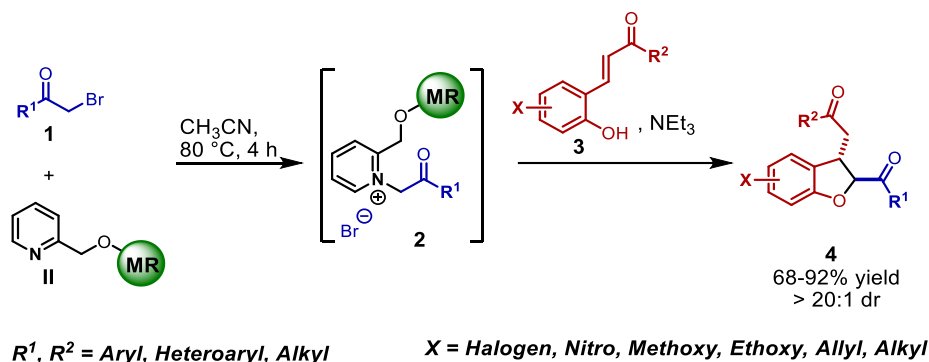
entry	solvent	time (h)	Yield ^b (%)
1	CH ₃ CN	3	80
2	toluene	3	63
3	<i>m</i> -xylene	4	68
4	(CH ₂ Cl) ₂	6	66
5	EtOAc	6	58
6 ^c	THF	12	40

^aReaction conditions: **1a** (59.7 mg, 0.3 mmol), **3aa** (44.8 mg, 0.2 mmol), NEt₃ (0.3 mmol), solvent (2 ml), MR-Py **I-VII** (368 mg, 0.5 mmol) unless specified. The dr for all entries (>20:1) was determined by ¹H NMR analysis of the crude reaction mixture. ^bIsolated yield. ^creaction was carried out at 65 °C.

Table S2. Amount of MR-Py **I-VI** used in optimization (manuscript **Table 1**).

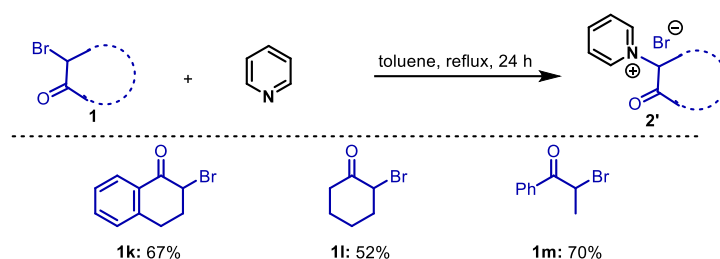
entry	MR-Py	Pyridine content (<i>f</i>)	mmol	weight (g)
1	I	1.63 mmol/g	0.5	0.3067
2	II	1.80 mmol/g	0.5	0.2778
3	III	1.36 mmol/g	0.5	0.3676
4	IV	1.57 mmol/g	0.5	0.3184
5	V	1.71 mmol/g	0.5	0.2924
6	VI	1.67 mmol/g	0.5	0.2994

3. General procedure for the synthesis of 2,3-dihydrobenzofuran derivatives 4



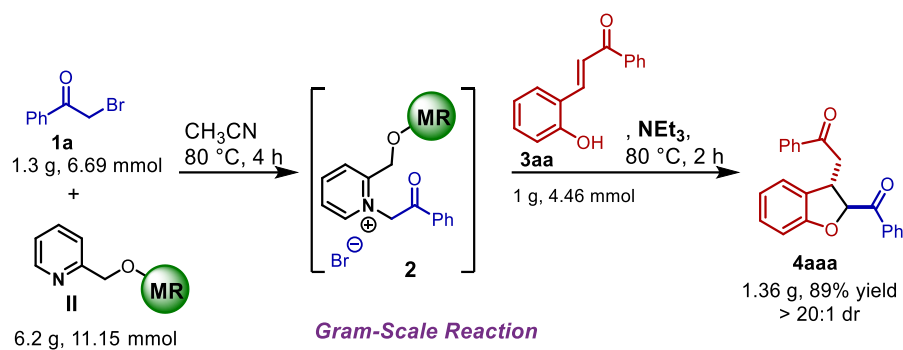
α -Bromo ketones **1** (0.3 mmol) and Merrifield resin supported pyridine **II** (278 mg, 0.5 mmol, pyridine extent = 1.80 mmol/g) were added in acetonitrile (2 mL) and the mixture was stirred at 80 °C for 4 h (monitored by thin layer chromatography). After complete consumption of **1**, *o*-hydroxychalcones **3** (0.2 mmol) and NEt_3 (41.8 μl , 0.3 mmol) were added to the reaction mixture and the stirring was continued at 80 °C. The progress of the reaction was monitored by TLC. The mixture was cooled to room temperature. The solid residue was filtered and washed with EtOAc and CH_2Cl_2 . The filtrate was concentrated under vacuum to get the crude product. As a colourless solid, product **4** was purified by flash column chromatography on silica support (hexane/ethyl acetate = 10:1).

Synthesis of pyridinium salt 2'k-2'm



α -Bromo ketones **1** (2.5 mmol) and pyridine (4.5 mmol) were added in toluene (10 mL) and the mixture was stirred at reflux temperature for 24 h. Solid precipitate was formed. The precipitate was filtered out and washed with toluene. The solid residue was recrystallized in ethanol to get pure pyridinium salt in moderate yield.

Scale up a condition for the synthesis of 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethanone 4aaa:



α -Bromo ketones **1a** (1.3, 6.69 mmol) and Merrifield resin-supported pyridine **II** (6.2 g, 11.15 mmol, pyridine extent = 1.80 mmol/g) were added in acetonitrile (40 mL) and the mixture was stirred at 80 °C for 1 h (monitored by thin layer chromatography). After complete consumption of **1a**, *o*-hydroxy chalcones **3aa** (1 g, 4.46 mmol) and NEt_3 (6.69 mmol) were added to the reaction mixture and the stirring was continued at 80 °C. The progress of the reaction was monitored by TLC. The mixture was cooled to room temperature. The solid residue was filtered and washed with EtOAc and CH_2Cl_2 . The filtrate was concentrated under a vacuum to get the crude product. Product **4aaa** (2.04 g) was purified by flash column chromatography on silica support (hexane/ethyl acetate = 10:1) to afford **4aaa** (1.36 g, 89% yield) as a colourless solid.

The immobilized pyridine was washed with water (3 x 10 ml), 1N HCl (3 x 10 ml), 2N NaOH (3 x 10 ml), water (3 x 10 ml), acetone (3 x 10 ml), hexane (3 x 10 ml), CH_2Cl_2 (3 x 10 ml), acetone (3 x 10 ml) respectively and dried under vacuum to give radish brown beads and reused for the next cycle.

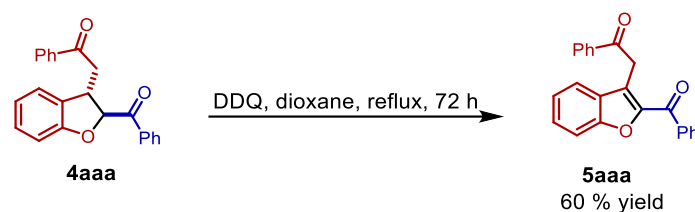
Table S3: Recycling of supported pyridine (MR-Py II) at gram scale:

cycle	weight of 4aaa (g)	mmol (4aaa)	yield (%)	polymer used (g)	recovered polymer (g)	recovered polymer (%) ^a
1	1.359	3.97	89	6.20	6.18	99.7
2	1.298	3.79	85	6.18	6.15	99.5
3	1.222	3.57	80	6.15	6.12	99.5
4	1.084	3.17	71	6.12	6.09	99.5
5	1.054	3.08	69	6.09	6.05	99.3
6	0.977	2.85	64	6.05	6.03	99.7
7	0.947	2.77	62	6.03	6.01	99.7
8	0.901	2.63	59	6.01	5.98	99.5
9	0.870	2.54	57	5.98	5.93	99.7
10	0.855	2.50	56	5.93	5.90	99.5

^aRecovered polymer (%) = Recovered polymer (g)/Polymer used in each cycle(g)*100

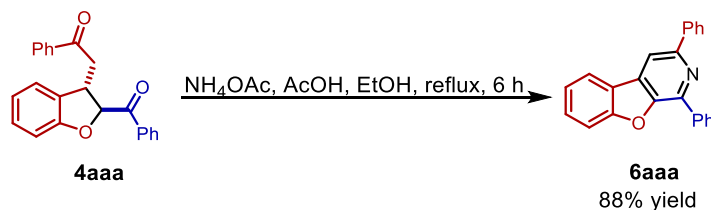
4. Procedures for synthetic transformations

Synthesis of 2-(2-benzoylbenzofuran-3-yl)-1-phenylethan-1-one (5aaa)



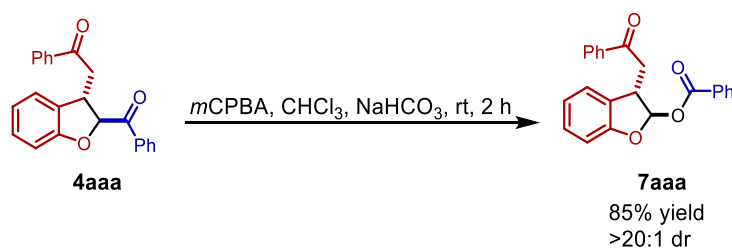
To a solution of 2-(2-benzoylbenzofuran-3-yl)-1-phenylethan-1-one **4aaa** (68.5 mg, 0.2 mmol) in dry dioxane (4 mL), DDQ (90.1 mg, 0.4 mmol) was added. The reaction mixture was refluxed for 72 h. After completion of the reaction (confirmed by TLC), The reaction mixture was concentrated under reduced pressure, which was then purified by flash column chromatography on silica gel using hexane/ethyl acetate = 10:1 to give the aromatized 2,3-disubstituted benzofuran **5aaa** (40.9 mg, 60% yield).

Synthesis of 1,3-diphenylbenzofuro[2,3-c]pyridine (6aaa)



A mixture of 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethanone **4aaa** (102.7 mg, 0.3 mmol), NH_4OAc (185.0 mg, 2.4 mmol) and AcOH (0.3 mL) in anhydrous ethanol (4.5 mL) were heated at reflux for 8 h. After the reaction was completed, the mixture was slowly cooled to room temperature overnight then yellow crystals of **5aaa** precipitated, which were filtrated and washed with a small amount of anhydrous ethanol to give the pure product **6aaa** (84.85 mg, 88% yield) as a yellowish solid.

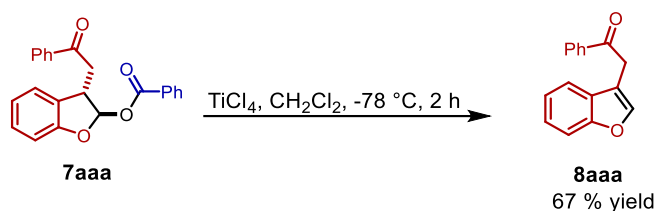
Baeyer-Villiger oxidation of 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (7aaa)



In a 25 mL oven-dried round bottom flask were combined 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one **4aaa** (102.7 mg, 0.3 mmol), *m*CPBA (65% purity, 79.6 mg, 0.46 mmol), and sodium bicarbonate (16.8 mg, 0.2 mmol) in chloroform (5 mL) at room temperature. The resulting

suspension was then stirred under a static N₂ environment with the exclusion of light at room temperature and monitored periodically via TLC. The mixture was washed with saturated NaHCO₃ (30 ml) solution and the aqueous phase was extracted with CHCl₃ (3 x 10 mL). The combined organic layer was dried over Na₂SO₄, and concentrated under reduced pressure. The crude product was purified by flash column chromatography on silica gel (hexane/ethyl acetate = 10:1) to afford **7aaa** (91.5 mg, 85% yield) as a colourless solid.

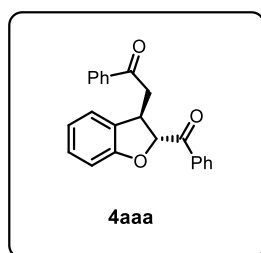
Synthesis of 2-(benzofuran-3-yl)-1-phenylethan-1-one (**8aaa**)



To a solution of **7aaa** (38 mg, 0.15 mmol) in CH₂Cl₂ (4 mL) at -78 °C was added titanium tetrachloride (0.070 mL, 0.60 mmol). The dark red-brown solution was stirred at -78 °C for 2 h; water (2 mL) was added and the mixture was allowed to warm to room temperature. The mixture was poured into ether (40 mL) and 1 N hydrochloric acid (15 mL). The ether phase was washed with saturated sodium bicarbonate (15 mL) and brine (15 mL), dried over anhydrous magnesium sulphate, and concentrated under reduced pressure. The crude product was purified by flash column chromatography on silica gel (hexane/ethyl acetate = 10:1) to afford **8aaa** (23.8 mg, 67% yield) as a yellowish oil.

5. Characterization data of compounds **4**, **5aaa**, **6aaa**, **7aaa** and **8aaa**

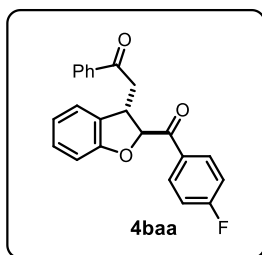
2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aaa): White solid, Yield = 89%



(60.9 mg); ¹H NMR (500 MHz, CDCl₃) δ 8.10 (d, *J* = 7.9 Hz, 2H), 7.98 – 7.93 (m, 2H), 7.62 – 7.56 (m, 2H), 7.51 – 7.45 (m, 4H), 7.24 (d, *J* = 7.4 Hz, 1H), 7.18 (t, *J* = 7.7 Hz, 1H), 6.93 – 6.86 (m, 2H), 5.66 (d, *J* = 5.5 Hz, 1H), 4.58 – 4.54 (m, 1H), 3.65 (dd, *J* = 17.8, 6.0 Hz, 1H), 3.44 (dd, *J* = 17.8, 8.1 Hz, 1H); ¹³C NMR (125 MHz, CDCl₃) δ 197.87, 194.84, 158.69, 136.48, 135.06, 133.69, 133.63, 129.48,

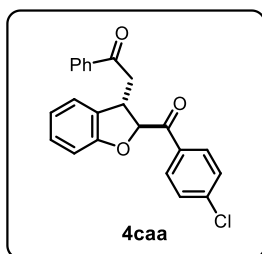
128.95, 128.87, 128.83, 128.76, 128.21, 124.91, 121.51, 110.06, 87.69, 44.19, 39.67; IR (ATR): ν 3062, 3006, 2990, 1734, 1684, 1653, 1597, 1579, 1559, 1541, 1507, 1479, 1449, 1276, 1261, 1216 cm⁻¹; HRMS (ES⁺) calc. for C₂₃H₁₉O₃⁺ [M+H]⁺: 343.1329, found : 343.1316.

2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4baa): White solid, Yield



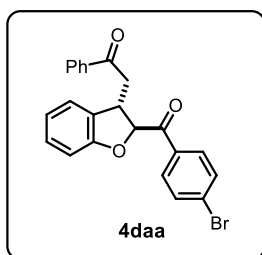
= 83% (59.8 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.18 – 8.10 (m, 2H), 7.99 – 7.91 (m, 2H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.47 (t, $J = 7.7$ Hz, 2H), 7.23 (t, $J = 7.7$ Hz, 1H), 7.20 – 7.11 (m, 3H), 6.92 (t, $J = 7.5$ Hz, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 5.61 (d, $J = 5.6$ Hz, 1H), 4.58 – 4.55 (m, 1H), 3.65 (dd, $J = 17.9, 5.6$ Hz, 1H), 3.43 (dd, $J = 17.9, 8.5$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.95, 193.32, 166.12 (d, $J_{\text{(C-F)}}$ = 253.7 Hz), 158.54, 136.44, 133.69, 132.32, (d, $J_{\text{(C-F)}}$ = 8.7 Hz), 131.52, (d, $J_{\text{(C-F)}}$ = 2.5 Hz) 128.99, 128.89, 128.86, 128.21, 124.86, 121.62, 115.92, (d, $J_{\text{(C-F)}}$ = 22.5 Hz) 110.07, 87.72, 44.12, 39.55; IR (ATR): ν 3005, 2992, 1868, 1828, 1790, 1770, 1749, 1717, 1699, 1654, 1618, 1598, 1576, 1559, 1498, 1457, 1437, 1397, 1276, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{FO}_3^+$ $[\text{M}+\text{H}]^+$: 361.1234, found : 361.1233.

2-(2-(4-chlorobenzoyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4caa): White solid, Yield



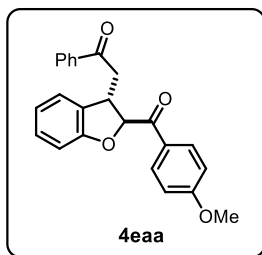
= 81% (61.1 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.08 – 8.02 (m, 2H), 7.99 – 7.92 (m, 2H), 7.63 – 7.55 (m, 1H), 7.51 – 7.43 (m, 4H), 7.25 – 7.12 (m, 2H), 6.92 (td, $J = 7.5, 0.8$ Hz, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 5.60 (d, $J = 5.5$ Hz, 1H), 4.57 – 4.54 (m, 1H), 3.65 (dd, $J = 17.9, 5.5$ Hz, 1H), 3.43 (dd, $J = 17.9, 8.6$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.96, 193.83, 158.53, 140.20, 136.44, 133.74, 133.48, 131.02, 129.12, 129.04, 128.90, 128.84, 128.24, 124.86, 121.68, 110.13, 87.75, 44.14, 39.57; IR (ATR): ν 3067, 3006, 2990, 2925, 2853, 1772, 1734, 1717, 1684, 1647, 1591, 1570, 1541, 1507, 1497, 1475, 1458, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{ClO}_3^+$ $[\text{M}+\text{H}]^+$: 377.0939, found : 377.0941.

2-(2-(4-bromobenzoyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4daa): White solid, Yield



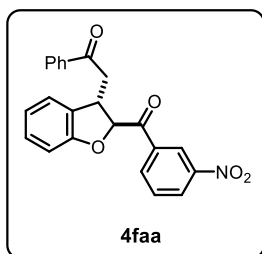
= 80% (67.4 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.08 – 8.02 (m, 2H), 7.99 – 7.93 (m, 2H), 7.63 – 7.55 (m, 1H), 7.50 – 7.43 (m, 4H), 7.24 (d, $J = 7.5$ Hz, 1H), 7.20 – 7.16 (m, 1H), 6.94 – 6.91 (m, 1H), 6.89 – 6.82 (m, 1H), 5.60 (d, $J = 5.5$ Hz, 1H), 4.58 – 4.54 (m, 1H), 3.65 (dd, $J = 17.9, 5.5$ Hz, 1H), 3.43 (dd, $J = 17.9, 8.6$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.94, 193.78, 158.51, 140.16, 136.40, 133.71, 133.45, 130.99, 129.09, 129.01, 128.87, 128.82, 128.21, 124.84, 121.65, 110.09, 87.68, 44.11, 39.52; IR (ATR): ν 3006, 2989, 2900, 1734, 1684, 1653, 1590, 1541, 1507, 1479, 1460, 1449, 1402, 1276, 1260, 1217 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{17}\text{BrO}_3^+$ $[\text{M}]^+$: 420.0361 & 422.0336, found : 420.0359 & 422.0337.

2-(2-(4-methoxybenzoyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4eaa): White solid,



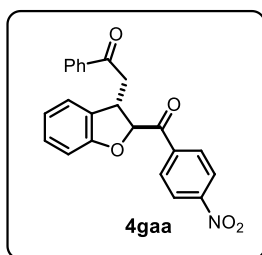
Yield = 78% (58.1 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.13 – 8.05 (m, 2H), 7.96 (dd, $J = 8.3, 1.2$ Hz, 2H), 7.61 – 7.54 (m, 1H), 7.46 (dd, $J = 10.7, 4.8$ Hz, 2H), 7.22 (d, $J = 7.4$ Hz, 1H), 7.17 (t, $J = 7.7$ Hz, 1H), 6.99 – 6.94 (m, 2H), 6.91 – 6.86 (m, 2H), 5.61 (d, $J = 5.7$ Hz, 1H), 4.55 (dd, $J = 13.4, 6.1$ Hz, 1H), 3.88 (s, 3H), 3.62 (dd, $J = 17.7, 6.1$ Hz, 1H), 3.44 (dd, $J = 17.7, 7.9$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.94, 193.40, 164.05, 158.81, 136.60, 133.63, 131.93, 129.14, 128.93, 128.87, 128.26, 128.03, 124.94, 121.48, 114.04, 110.05, 87.83, 55.66, 44.25, 39.87; IR (ATR): ν 3006, 2989, 1869, 1844, 1792, 1772, 1749, 1734, 1717, 1699, 1684, 1636, 1599, 1498, 1474, 1458, 1261, 1219 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_4^+$ $[\text{M}+\text{H}]^+$: 373.1434, found : 373.1422.

2-(2-(3-nitrobenzoyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4faa): White solid, Yield =



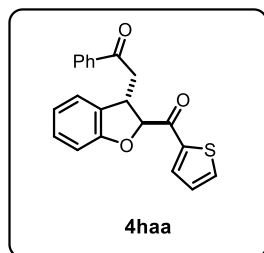
82% (63.5 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.96 (t, $J = 1.9$ Hz, 1H), 8.50 – 8.42 (m, 2H), 7.95 (dd, $J = 8.3, 1.1$ Hz, 2H), 7.71 (t, $J = 8.0$ Hz, 1H), 7.61 – 7.55 (m, 1H), 7.47 (t, $J = 7.8$ Hz, 2H), 7.27 (s, 1H), 7.19 (t, $J = 7.6$ Hz, 1H), 6.9 – 6.94 (m, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 5.66 (d, $J = 5.4$ Hz, 1H), 4.63 – 4.56 (m, 1H), 3.71 (dd, $J = 18.1, 5.0$ Hz, 1H), 3.45 (dd, $J = 18.1, 9.4$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 198.08, 192.95, 158.27, 148.54, 136.60, 136.32, 135.18, 133.84, 129.99, 129.16, 128.92, 128.56, 128.23, 127.84, 124.80, 124.62, 121.90, 110.23, 87.61, 76.91, 44.06, 39.31; IR (ATR): ν 3056, 3006, 2988, 2880, 1792, 1761, 1734, 1717, 1698, 1685, 1671, 1653, 1636, 1616, 1539, 1352, 1264 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{NO}_5^+$ $[\text{M}+\text{H}]^+$: 388.1179, found : 388.1239.

2-(2-(4-nitrobenzoyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4gaa): White solid, Yield =



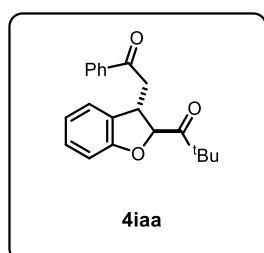
89% (69.0 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.41 – 8.31 (m, 2H), 8.29 – 8.23 (m, 2H), 7.95 (dd, $J = 8.3, 1.2$ Hz, 2H), 7.65 – 7.55 (m, 1H), 7.47 (dd, $J = 10.7, 4.9$ Hz, 2H), 7.25 (d, $J = 5.3$ Hz, 1H), 7.20 (t, $J = 7.8$ Hz, 1H), 6.97 – 6.94 (m, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 5.62 (d, $J = 5.5$ Hz, 1H), 4.59 – 4.51 (m, 1H), 3.70 (dd, $J = 18.1, 5.0$ Hz, 1H), 3.44 (dd, $J = 18.1, 9.3$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 198.03, 193.80, 158.29, 150.59, 139.99, 136.30, 133.89, 130.65, 129.21, 128.95, 128.53, 128.24, 124.81, 123.91, 121.94, 110.24, 87.87, 44.04, 39.49; IR (ATR): ν 3006, 2988, 2863, 2842, 1770, 1761, 1735, 1718, 1698, 1687, 1633, 1557, 1542, 1505, 1474, 1456, 1263 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{NO}_5^+$ $[\text{M}+\text{H}]^+$: 388.1179, found : 388.1162.

1-phenyl-2-(2-(thiophene-2-carbonyl)-2,3-dihydrobenzofuran-3-yl)ethan-1-one (4haa): White solid,



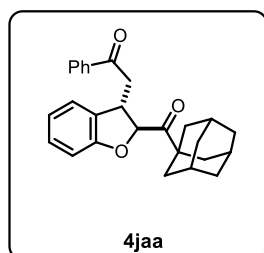
Yield = 70% (48.8 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.04 (dd, $J = 3.9, 1.0$ Hz, 1H), 7.98 (dd, $J = 8.3, 1.2$ Hz, 2H), 7.71 (dd, $J = 4.9, 1.0$ Hz, 1H), 7.61 – 7.56 (m, 1H), 7.47 (t, $J = 7.8$ Hz, 2H), 7.23 – 7.16 (m, 3H), 6.93 – 6.90 (m, 2H), 5.40 (d, $J = 6.1$ Hz, 1H), 4.51 (q, $J = 6.7$ Hz, 1H), 3.61 (dd, $J = 17.8, 6.7$ Hz, 1H), 3.49 (dd, $J = 17.8, 7.1$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.74, 189.31, 158.75, 140.92, 136.55, 135.20, 134.46, 133.64, 129.02, 128.86, 128.41, 128.25, 125.07, 121.75, 110.08, 89.22, 44.21, 41.07; IR (ATR): ν 3087, 3061, 3006, 2989, 1683, 1596, 1559, 1518, 1478, 1460, 1449, 1412, 1357, 1276, 1219 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{21}\text{H}_{17}\text{O}_3\text{S}^+$ $[\text{M}+\text{H}]^+$: 349.0893, found : 349.0903.

2,2-dimethyl-1-(3-(2-oxo-2-phenylethyl)-2,3-dihydrobenzofuran-2-yl)propan-1-one (4iaa): White



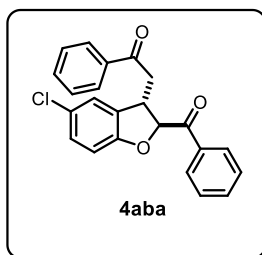
solid, Yield = 73% (47.1 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.00 – 7.93 (m, 2H), 7.63 – 7.54 (m, 1H), 7.47 (t, $J = 7.7$ Hz, 2H), 7.21 – 7.11 (m, 2H), 6.92 – 6.85 (m, 1H), 6.83 (d, $J = 8.0$ Hz, 1H), 5.16 (d, $J = 5.7$ Hz, 1H), 4.33 (dd, $J = 13.4, 6.2$ Hz, 1H), 3.56 (dd, $J = 17.8, 6.2$ Hz, 1H), 3.38 (dd, $J = 17.8, 7.8$ Hz, 1H), 1.29 (s, 9H); ^{13}C NMR (125 MHz, CDCl_3) δ 210.81, 197.92, 158.65, 136.61, 133.59, 129.25, 128.86, 128.81, 128.21, 124.81, 121.33, 109.79, 87.14, 44.48, 44.17, 40.21, 26.46; IR (ATR): ν 3006, 2989, 2863, 2845, 1792, 1781, 1761, 1734, 1717, 1700, 1685, 1653, 1636, 1559, 1521, 1508, 1458, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{21}\text{H}_{23}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 323.1642, found : 323.1615.

2-(2-((3R,5R,7R)-adamantane-1-carbonyl)-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one



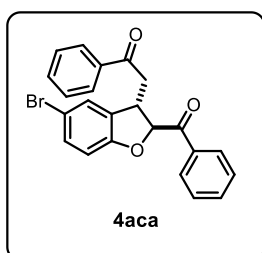
(4jaa): White solid, Yield = 72% (57.7 mg); ^1H NMR (500 MHz, CDCl_3) δ 7.96 (dd, $J = 5.2, 3.3$ Hz, 2H), 7.63 – 7.54 (m, 1H), 7.47 (dd, $J = 10.6, 4.8$ Hz, 2H), 7.20 – 7.09 (m, 2H), 6.88 – 6.81 (m, 2H), 5.18 (d, $J = 5.5$ Hz, 1H), 4.31 (dd, $J = 13.7, 5.8$ Hz, 1H), 3.57 (dd, $J = 17.8, 5.9$ Hz, 1H), 3.35 (dd, $J = 17.8, 8.2$ Hz, 1H), 2.07 (s, 3H), 1.99 (d, $J = 2.8$ Hz, 6H), 1.79 – 1.70 (m, 6H); ^{13}C NMR (125 MHz, CDCl_3) δ 209.34, 197.95, 158.71, 136.62, 133.60, 129.27, 128.86, 128.80, 128.22, 124.77, 121.24, 109.77, 86.09, 46.43, 44.43, 39.67, 37.91, 36.66, 28.01; IR (ATR): ν 3006, 2990, 2908, 1772, 1734, 1717, 1700, 1684, 1653, 1559, 1541, 1521, 1507, 1474, 1276, 1263 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{27}\text{H}_{29}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 401.2111, found : 401.2091.

2-(2-benzoyl-5-chloro-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aba): White solid, Yield =



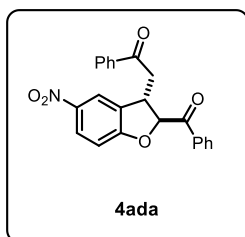
80% (60.3 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.15 – 8.06 (m, 2H), 7.98 – 7.90 (m, 2H), 7.64 (m – 7.58, 2H), 7.52 – 7.45 (m, 4H), 7.17 (d, $J = 2.0$ Hz, 1H), 7.12 (s, 1H), 5.78 (d, $J = 5.4$ Hz, 1H), 4.61 – 4.57 (m, 1H), 3.62 (dd, $J = 18.0, 6.1$ Hz, 1H), 3.47 (dd, $J = 18.0, 7.9$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.49, 194.34, 157.43, 136.33, 134.90, 133.89, 133.82, 131.02, 129.52, 128.92, 128.90, 128.86, 128.25, 126.27, 125.17, 111.04, 88.08, 43.94, 39.59; IR (ATR): ν 3065, 3006, 2990, 2919, 2900, 2850, 1792, 1772, 1734, 1716, 1683, 1596, 1579, 1541, 1472, 1448, 1355, 1276, 1259, 1218, 1168 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{ClO}_3^+$ $[\text{M}+\text{H}]^+$: 377.0939, found : 377.0937.

2-(2-benzoyl-5-bromo-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aca): White solid, Yield =



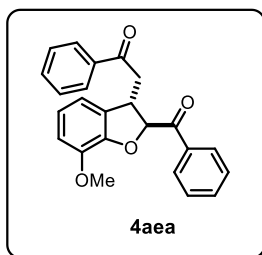
77% (64.9 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.13 – 8.04 (m, 2H), 7.99 – 7.91 (m, 2H), 7.66 – 7.56 (m, 2H), 7.54 – 7.43 (m, 4H), 7.37 – 7.31 (m, 1H), 7.28 – 7.26 (m, 1H), 6.74 (d, $J = 8.5$ Hz, 1H), 5.69 (d, $J = 5.4$ Hz, 1H), 4.57 – 4.53 (m, 1H), 3.62 (dd, $J = 17.9, 6.0$ Hz, 1H), 3.45 (dd, $J = 17.9, 8.1$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.48, 194.27, 157.95, 136.32, 134.89, 133.90, 133.82, 131.80, 131.57, 129.51, 128.92, 128.86, 128.25, 128.02, 113.38, 111.66, 88.00, 43.96, 39.52; IR (ATR): ν 3064, 2998, 2988, 2858, 1804, 1792, 1781, 1772, 1761, 1749, 1735, 1717, 1699, 1684, 1653, 1637, 1560, 1508, 1473, 1260 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{BrO}_3^+$ $[\text{M}+\text{H}]^+$: 421.0434 & 423.0414, found : 421.0429 & 423.0410.

2-(2-benzoyl-5-nitro-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4ada): White solid, Yield =



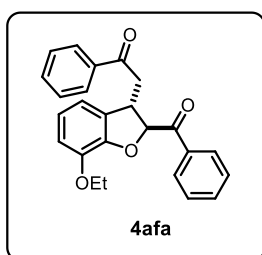
78% (60.5 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.16 – 8.14 (m, 2H), 8.12 – 8.06 (m, 2H), 7.99 – 7.91 (m, 2H), 7.66 – 7.64 (m, 1H), 7.62 – 7.59 (m, 1H), 7.55 – 7.52 (m, 2H), 7.49 – 7.46 (m, 2H), 6.96 – 6.86 (m, 1H), 5.92 (d, $J = 5.3$ Hz, 1H), 4.62 – 4.59 (m, 1H), 3.72 (dd, $J = 18.1, 5.5$ Hz, 1H), 3.51 (dd, $J = 18.1, 8.4$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.17, 193.25, 163.99, 142.78, 136.08, 134.65, 134.23, 134.03, 130.82, 129.53, 129.00, 128.99, 128.26, 126.45, 121.39, 110.01, 88.75, 43.49, 38.81; IR (ATR): ν 3006, 2989, 2920, 1734, 1717, 1698, 1684, 1652, 1597, 1559, 1521, 1474, 1339, 1276, 1261, 1221 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{NO}_5^+$ $[\text{M}+\text{H}]^+$: 388.1179, found : 388.1176.

2-(2-benzoyl-7-methoxy-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aea): White solid,



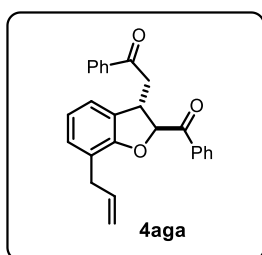
Yield = 78% (58.1 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.13 – 8.06 (m, 2H), 7.98 – 7.91 (m, 2H), 7.61 – 7.56 (m, 2H), 7.50 – 7.44 (m, 4H), 6.90 – 6.83 (m, 2H), 6.80 – 6.79 (m, 1H), 5.70 (d, $J = 5.6$ Hz, 1H), 4.57 – 4.53 (m, 1H), 3.86 (s, 3H), 3.65 (dd, $J = 17.8, 5.8$ Hz, 1H), 3.43 (dd, $J = 17.8, 8.3$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.84, 194.69, 147.14, 144.80, 136.51, 135.06, 133.69, 133.67, 130.25, 129.54, 128.87, 128.80, 128.26, 122.30, 116.92, 112.31, 88.20, 56.25, 44.23, 40.56; IR (ATR): ν 3006, 2990, 1869, 1844, 1829, 1792, 1772, 1749, 1734, 1717, 1699, 1684, 1653, 1636, 1576, 1559, 1541, 1507, 1473, 1419, 1339, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_4^+$ $[\text{M}+\text{H}]^+$: 373.1434, found : 373.1423.

2-(2-benzoyl-7-ethoxy-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4afa): White solid, Yield =



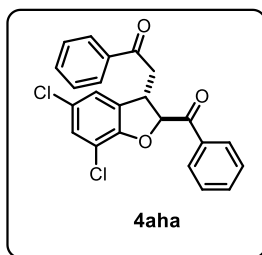
79% (61.1 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.10 (d, $J = 7.4$ Hz, 2H), 7.96 – 7.92 (m, 2H), 7.61 – 7.55 (m, 2H), 7.48 – 7.44 (m, 4H), 6.87 – 6.82 (m, 2H), 6.79 (dd, $J = 6.2, 3.1$ Hz, 1H), 5.69 (d, $J = 5.5$ Hz, 1H), 4.54 – 4.50 (m, 1H), 4.13 – 4.07 (m, 2H), 3.63 (dd, $J = 17.8, 5.9$ Hz, 1H), 3.43 (dd, $J = 17.8, 8.2$ Hz, 1H), 1.39 (t, $J = 7.0$ Hz, 3H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.49, 194.86, 158.69, 144.50, 135.06, 134.06, 133.66, 129.50, 129.48, 129.03, 128.90, 128.75, 128.34, 124.92, 121.48, 110.04, 87.70, 44.07, 39.75, 21.79; IR (ATR): ν 3005, 2989, 1845, 1794, 1749, 1734, 1699, 1653, 1617, 1576, 1541, 1490, 1473, 1437, 1397, 1339, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{25}\text{H}_{23}\text{O}_4^+$ $[\text{M}+\text{H}]^+$: 387.1591, found : 387.1598.

2-(7-allyl-2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aga): Yellowish liquid, Yield =



73% (55.9 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.14 – 8.04 (m, 2H), 8.00 – 7.92 (m, 2H), 7.62 – 7.57 (m, 2H), 7.51 – 7.45 (m, 4H), 7.10 (d, $J = 7.4$ Hz, 1H), 7.01 (d, $J = 7.5$ Hz, 1H), 6.86 (t, $J = 7.5$ Hz, 1H), 5.98 – 5.90 (m, 1H), 5.64 (d, $J = 5.5$ Hz, 1H), 5.07 – 4.96 (m, 2H), 4.56 (dd, $J = 13.6, 5.8$ Hz, 1H), 3.63 (dd, $J = 17.7, 6.0$ Hz, 1H), 3.45 (dd, $J = 17.7, 8.1$ Hz, 1H), 3.35 (d, $J = 6.6$ Hz, 2H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 197.92, 195.32, 156.72, 136.56, 136.17, 135.13, 133.60, 133.58, 129.53, 129.18, 128.84, 128.67, 128.60, 128.23, 122.77, 122.51, 121.65, 115.92, 87.92, 44.25, 40.13, 34.07; IR (ATR): ν 3006, 2990, 2360, 2341, 1792, 1761, 1734, 1717, 1698, 1684, 1653, 1541, 1521, 1474, 1456, 1276, 1265 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{26}\text{H}_{23}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 383.1642, found : 383.1648.

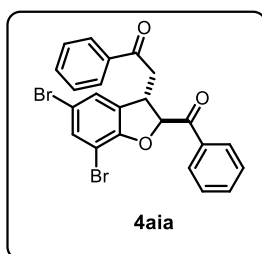
2-(2-benzoyl-5,7-dichloro-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aha): White solid,



Yield = 92% (75.7 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.15 – 8.06 (m, 2H), 7.98 – 7.91 (m, 2H), 7.67 – 7.56 (m, 2H), 7.53 – 7.46 (m, 4H), 7.18 (dd, $J = 2.0, 0.5$ Hz, 1H), 7.12 (dd, $J = 2.0, 1.0$ Hz, 1H), 5.78 (d, $J = 5.4$ Hz, 1H), 4.59 (dd, $J = 13.3, 6.1$ Hz, 1H), 3.62 (dd, $J = 18.1, 6.1$ Hz, 1H), 3.47 (dd, $J = 18.1, 8.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.18, 193.76, 153.71, 136.14, 134.68, 134.05,

133.92, 132.19, 129.55, 128.94, 128.90, 128.22, 126.58, 123.67, 116.01, 88.22, 76.91, 43.74, 40.45; IR (ATR): ν 3005, 2960, 2927, 2855, 1772, 1749, 1734, 1717, 1699, 1685, 1647, 1617, 1577, 1559, 1541, 1521, 1458, 1375, 1275, 1263 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{17}\text{Cl}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 411.0549, found : 411.0571.

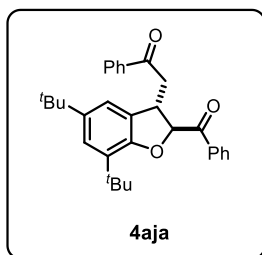
2-(2-benzoyl-5,7-dibromo-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aia):



White solid, Yield = 88% (88.1 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.12 – 8.07 (m, 2H), 7.95 – 7.90 (m, 2H), 7.64 – 7.56 (m, 2H), 7.53 – 7.43 (m, 5H), 7.29 (s, 1H), 5.77 (d, $J = 5.4$ Hz, 1H), 4.61 (dd, $J = 13.3, 6.0$ Hz, 1H), 3.61 (dd, $J = 18.1, 6.1$ Hz, 1H), 3.46 (dd, $J = 18.1, 8.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.13, 193.72, 155.56, 136.04, 134.60, 134.03, 133.98, 133.84, 132.31, 129.48,

128.86, 128.83, 128.15, 127.06, 113.50, 103.61, 87.83, 43.73, 40.58; IR (ATR): ν 3136, 3109, 3005, 2990, 2964, 1792, 1772, 1749, 1735, 1716, 1696, 1684, 1636, 1597, 1559, 1507, 1396, 1276, 1258 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{17}\text{Br}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 500.9519 & 498.9539 found : 500.9526 & 498.9545.

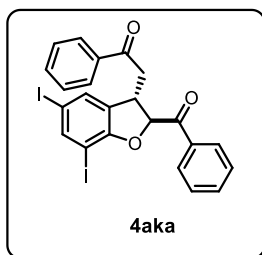
2-(2-benzoyl-5,7-di-tert-butyl-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one(4aja): White solid,



Yield = 92% (83.6 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.11 (dd, $J = 5.2, 3.3$ Hz, 2H), 7.99 – 7.93 (m, 2H), 7.61 – 7.55 (m, 2H), 7.51 – 7.44 (m, 4H), 7.16 (d, $J = 1.9$ Hz, 1H), 7.10 (dd, $J = 1.9, 0.8$ Hz, 1H), 5.63 (d, $J = 5.3$ Hz, 1H), 4.55 – 4.52 (m, 1H), 3.64 (dd, $J = 17.5, 5.5$ Hz, 1H), 3.46 (dd, $J = 17.5, 8.6$ Hz, 1H), 1.30 (d, $J = 6.3$ Hz, 18H); ^{13}C NMR (125 MHz, CDCl_3) δ 198.34, 195.39, 154.16, 144.18,

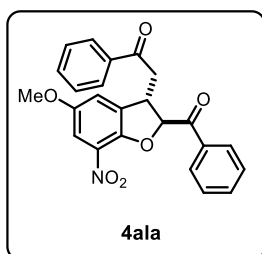
136.77, 135.23, 133.52, 133.47, 132.55, 129.56, 128.83, 128.70, 128.63, 128.29, 122.85, 119.19, 87.95, 44.44, 39.55, 34.71, 34.37, 31.92, 29.49; IR (ATR): ν 3006, 2989, 2964, 2904, 1772, 1734, 1684, 1653, 1636, 1597, 1559, 1541, 1507, 1448, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{31}\text{H}_{35}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 455.2581, found : 455.2577.

2-(2-benzoyl-5,7-diiodo-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4aka): White solid, Yield



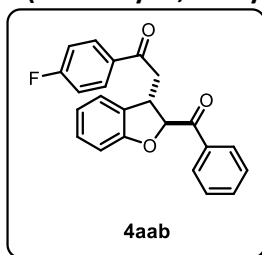
= 90% (107.0 mg) ^1H NMR (500 MHz, CDCl_3) δ 8.14 – 8.05 (m, 2H), 7.99 – 7.88 (m, 2H), 7.86 – 7.75 (m, 1H), 7.67 – 7.56 (m, 2H), 7.55 – 7.42 (m, 5H), 5.72 (d, J = 5.3 Hz, 1H), 4.65 – 4.61 (m, 1H), 3.59 (dd, J = 18.0, 6.1 Hz, 1H), 3.46 (dd, J = 18.0, 7.9 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.16, 193.93, 159.51, 144.90, 136.15, 134.67, 133.99, 133.88, 133.69, 131.56, 129.59, 128.92, 128.84, 128.22, 87.39, 83.60, 75.42, 43.90, 40.89; IR (ATR): ν 3006, 2990, 1734, 1717, 1699, 1684, 1653, 1636, 1559, 1541, 1521, 1507, 1473, 1457, 1276, 1262 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{17}\text{I}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 594.9262, found : 594.9230.

2-(2-benzoyl-5-methoxy-7-nitro-2,3-dihydrobenzofuran-3-yl)-1-phenylethan-1-one (4ala): White



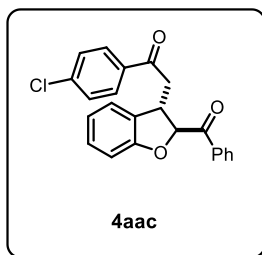
solid, Yield = 71% (59.3 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.11 (d, J = 7.4 Hz, 2H), 7.95 (d, J = 7.4 Hz, 2H), 7.85 (d, J = 1.2 Hz, 1H), 7.74 (d, J = 1.9 Hz, 1H), 7.66 – 7.59 (m, 2H), 7.54 – 7.46 (m, 4H), 5.95 (d, J = 5.3 Hz, 1H), 4.63 – 4.54 (m, 1H), 3.94 (s, 3H), 3.72 (dd, J = 18.1, 5.3 Hz, 1H), 3.48 (dd, J = 18.1, 8.7 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.15, 193.13, 152.88, 144.28, 143.17, 136.08, 134.66, 134.19, 134.02, 130.69, 129.53, 129.02, 128.98, 128.27, 113.88, 108.59, 88.86, 56.61, 43.56, 39.69; IR (ATR): ν 3066, 3006, 2960, 2923, 2852, 1772, 1734, 1684, 1653, 1595, 1559, 1520, 1489, 1448, 1333, 1276, 1257, 1209 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{20}\text{NO}_6^+$ $[\text{M}+\text{H}]^+$: 418.1285, found : 418.1280.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-fluorophenyl)ethan-1-one (4aab): White solid, Yield



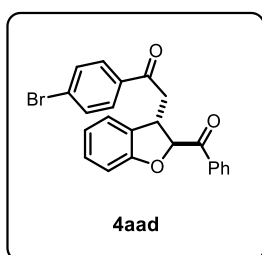
= 74% (53.4 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.10 – 8.09 (m, 2H), 8.01 – 7.96 (m, 2H), 7.62 – 7.59 (m, 1H), 7.51 – 7.48 (m, 2H), 7.23 – 7.10 (m, 4H), 6.92 – 6.86 (m, 2H), 5.64 (d, J = 5.6 Hz, 1H), 4.5 – 4.54 (m, 1H), 3.61 (dd, J = 17.7, 6.0 Hz, 1H), 3.41 (dd, J = 17.7, 8.1 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 196.31, 194.84, 166.07 (d, $J_{\text{C-F}}$ = 253.7 Hz), 158.68, 135.08, 133.72, 132.99 (d, $J_{\text{C-F}}$ = 2.5 Hz), 130.91 (d, $J_{\text{C-F}}$ = 10 Hz), 129.50, 129.01, 128.83, 128.78, 124.87, 121.55, 115.97 (d, $J_{\text{C-F}}$ = 22.5 Hz), 110.11, 87.73, 44.07, 39.68; IR (ATR): ν 3005, 2992, 1868, 1828, 1790, 1770, 1749, 1717, 1699, 1654, 1618, 1598, 1576, 1559, 1498, 1457, 1437, 1397, 1276, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{FO}_3^+$ $[\text{M}+\text{H}]^+$: 361.1234, found : 361.1239.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-chlorophenyl)ethan-1-one (4aac): White solid, Yield



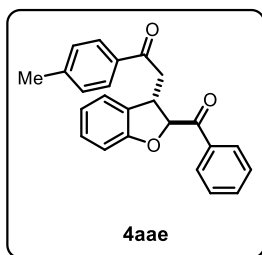
= 71% (53.5 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.10 – 8.08 (m, 2H), 7.91 – 7.88 (m, 2H), 7.62 – 7.59 (m, 1H), 7.52 – 7.48 (m, 2H), 7.45 – 7.42 (m, 2H), 7.22 – 7.16 (m, 2H), 6.92 – 6.86 (m, 2H), 5.64 (d, J = 5.6 Hz, 1H), 4.57 – 4.53 (m, 1H), 3.61 (dd, J = 17.8, 6.0 Hz, 1H), 3.40 (dd, J = 17.8, 8.1 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 196.72, 194.82, 158.67, 140.16, 135.05, 134.82, 133.77, 129.66, 129.53, 129.20, 129.06, 128.81, 128.76, 124.87, 121.58, 110.16, 87.72, 44.14, 39.61; IR (ATR): ν 3006, 2989, 1772, 1749, 1734, 1717, 1699, 1684, 1653, 1636, 1559, 1541, 1521, 1507, 1474, 1457, 1276, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{ClO}_3^+$ $[\text{M}+\text{H}]^+$: 377.0939, found : 377.0941.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-bromophenyl)ethan-1-one (4aad): White solid, Yield



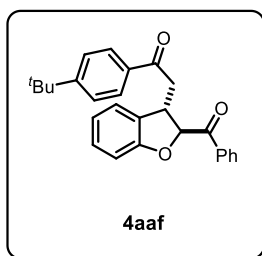
= 78% (65.7 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.10 – 8.08 (m, 2H), 7.81 (d, J = 8.6 Hz, 2H), 7.62 – 7.59 (m, 3H), 7.51 – 7.48 (m, 2H), 7.22 – 7.16 (m, 2H), 6.92 – 6.86 (m, 2H), 5.63 (d, J = 5.6 Hz, 1H), 4.57 – 4.53 (m, 1H), 3.61 (dd, J = 17.7, 6.0 Hz, 1H), 3.39 (dd, J = 17.7, 8.1 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 196.93, 194.84, 158.69, 135.26, 135.09, 133.76, 132.20, 129.75, 129.54, 129.07, 128.92, 128.81, 128.76, 124.86, 121.60, 110.17, 87.76, 44.12, 39.65; IR (ATR): ν 3004, 2988, 2923, 2859, 1800, 1792, 1772, 1749, 1734, 1717, 1695, 1684, 1653, 1640, 1555, 1457, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{17}\text{BrNaO}_3^+$ $[\text{M}+\text{Na}]^+$: 443.0254 & 445.0233 found : 443.0249 & 445.0228.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(p-tolyl)ethan-1-one (4aae): White solid, Yield = 70%



(50.0 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.11 – 8.09 (m, 2H), 7.85 (d, J = 8.2 Hz, 2H), 7.62 – 7.59 (m, 1H), 7.51 – 7.48 (m, 2H), 7.26 – 7.23 (m, 3H), 7.17 (t, J = 7.8 Hz, 1H), 6.92 – 6.87 (m, 2H), 5.66 (d, J = 5.5 Hz, 1H), 4.57 – 4.53 (m, 1H), 3.61 (dd, J = 17.7, 5.9 Hz, 1H), 3.40 (dd, J = 17.7, 8.2 Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.49, 194.86, 158.69, 144.50, 135.06, 134.06, 133.66, 129.50, 129.48, 129.03, 128.90, 128.75, 128.34, 124.92, 121.48, 110.04, 87.70, 44.07, 39.75, 21.79; IR (ATR): ν 3014, 2990, 2361, 2344, 1870, 1844, 1790, 1770, 1749, 1717, 1698, 1653, 1363, 1616, 1576, 1521, 1489, 1419 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 357.1485, found : 357.1489.

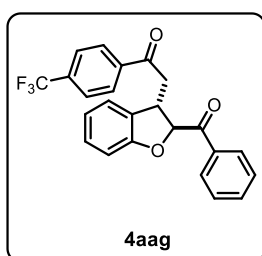
2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-(tert-butyl)phenyl)ethan-1-one (4aaf): Yellow liquid,



Yield = 74% (59.0 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.10 (d, $J = 7.6$ Hz, 2H), 7.91 (d, $J = 8.3$ Hz, 2H), 7.60 (t, $J = 7.3$ Hz, 1H), 7.51 – 7.47 (m, 4H), 7.24 (d, $J = 7.3$ Hz, 1H), 7.18 (t, $J = 7.7$ Hz, 1H), 6.94 – 6.83 (m, 2H), 5.67 (d, $J = 5.5$ Hz, 1H), 4.58 – 4.54 (m, 1H), 3.62 (dd, $J = 17.6, 6.0$ Hz, 1H), 3.43 (dd, $J = 17.6, 8.1$ Hz, 1H), 1.34 (s, 9H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.50, 194.89, 158.72, 157.44,

135.08, 133.99, 133.66, 129.49, 129.05, 128.91, 128.76, 128.21, 125.78, 124.93, 121.49, 110.04, 87.73, 44.12, 39.78, 35.27, 31.17; IR (ATR): ν 3004, 2988, 1811, 1790, 1782, 1772, 1734, 1684, 1654, 1363, 1559, 1507, 1474, 1458, 1276, 1264 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{27}\text{H}_{27}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 399.1955, found : 399.1932.

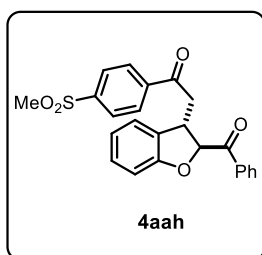
2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-(trifluoromethyl)phenyl)ethan-1-one (4aag):



Yellowish liquid, Yield = 74% (60.7 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.10 (d, $J = 7.4$ Hz, 2H), 8.06 (d, $J = 8.2$ Hz, 2H), 7.73 (d, $J = 8.3$ Hz, 2H), 7.62 (t, $J = 7.4$ Hz, 1H), 7.52 – 7.47 (m, 2H), 7.23 (d, $J = 7.4$ Hz, 1H), 7.18 (t, $J = 7.7$ Hz, 1H), 6.92 (t, $J = 7.4$ Hz, 1H), 6.87 (d, $J = 8.0$ Hz, 1H), 5.65 (d, $J = 5.6$ Hz, 1H), 4.61 – 4.57 (m, 1H), 3.66 (dd, $J = 17.9, 6.0$ Hz, 1H), 3.46 (dd, $J = 17.9, 8.0$ Hz, 1H); ^{13}C

NMR (125 MHz, CDCl_3) δ 197.03, 194.76, 158.65, 139.07, 135.02, 134.88 (q, $J_{\text{C-F}} \approx 32.5$ Hz), 133.80, 129.53, 129.12, 128.81, 128.61, 128.59, 125.94 (q, $J_{\text{C-F}} = 3.8$ Hz), 124.84, 123.62 (q, $J_{\text{C-F}} = 271.3$ Hz), 121.62, 110.18, 87.70, 44.42, 39.48; IR (ATR): ν 3137, 3087, 3047, 2982, 2912, 2895, 1816, 1794, 1773, 1734, 1691, 1597, 1512, 1462, 1449, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{17}\text{F}_3\text{O}_3^+$ $[\text{M}]^+$: 410.1130, found : 410.1145.

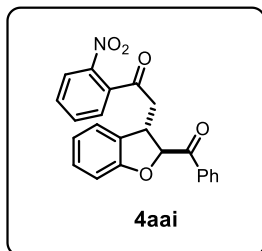
2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-(methylsulfonyl)phenyl)ethan-1-one (4aah): White



solid, Yield = 70% (58.9 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.13 – 8.09 (m, 4H), 8.04 (d, $J = 8.3$ Hz, 2H), 7.62 (t, $J = 7.4$ Hz, 1H), 7.51 (t, $J = 7.7$ Hz, 2H), 7.23 – 7.15 (m, 2H), 6.91 (t, $J = 7.5$ Hz, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 5.64 (d, $J = 5.6$ Hz, 1H), 4.61 – 4.57 (m, 1H), 3.66 (dd, $J = 17.9, 6.0$ Hz, 1H), 3.47 (dd, $J = 18.0, 7.9$ Hz, 1H), 3.07 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 196.83, 194.73, 158.61,

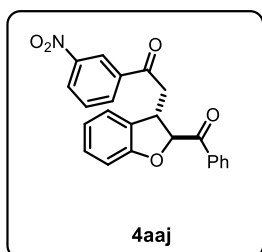
144.61, 140.32, 134.98, 133.86, 129.54, 129.18, 129.12, 128.94, 128.83, 128.45, 128.04, 124.82, 121.66, 110.22, 87.68, 44.56, 44.40, 39.43; IR (ATR): ν 3094, 3002, 2984, 2928, 2855, 1829, 1811, 1792, 1772, 1734, 1717, 1694, 1685, 1653, 1636, 1559, 1521, 1507, 1473, 1457, 1260 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_5\text{S}^+$ $[\text{M}+\text{H}]^+$: 421.1104, found : 421.1103.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(2-nitrophenyl)ethan-1-one (4aai): White solid, Yield =



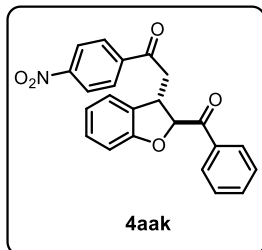
86% (66.6 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.15 – 8.12 (m, 3H), 7.73 – 7.70 (m, 1H), 7.66 – 7.57 (m, 2H), 7.52 (t, $J = 7.7$ Hz, 2H), 7.38 – 7.36 (m, 1H), 7.22 (d, $J = 7.5$ Hz, 1H), 7.17 (t, $J = 7.7$ Hz, 1H), 6.90 (t, $J = 7.4$ Hz, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 5.86 (d, $J = 5.3$ Hz, 1H), 4.60 – 4.56 (m, 1H), 3.39 – 3.29 (m, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ 200.46, 194.90, 158.74, 145.56, 137.53, 135.02, 134.68, 133.82, 130.90, 129.58, 129.11, 128.83, 128.26, 127.52, 124.74, 124.71, 121.58, 110.14, 86.85, 48.08, 39.44; IR (ATR): ν 3101, 3065, 3005, 2988, 2927, 2856, 1781, 1772, 1749, 1734, 1699, 1653, 1636, 1597, 1559, 1531, 1507, 1476, 1458, 1348, 1276, 1259 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{NO}_5^+$ $[\text{M}+\text{H}]^+$: 388.1179, found : 388.1152.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(3-nitrophenyl)ethan-1-one (4aaj): White solid, Yield =



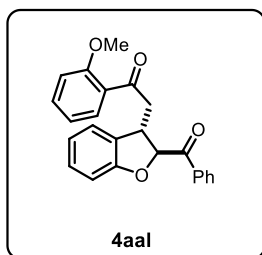
81% (62.8 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.12 – 8.04 (m, 2H), 7.63 – 7.58 (m, 1H), 7.56 – 7.54 (m, 1H), 7.50 – 7.48 (m, 2H), 7.42 (d, $J = 1.7$ Hz, 1H), 7.22 (d, $J = 7.5$ Hz, 1H), 7.17 (t, $J = 7.7$ Hz, 1H), 6.92 – 6.89 (m, 1H), 6.87 – 6.84 (m, 2H), 6.05 (s, 2H), 5.65 (d, $J = 5.5$ Hz, 1H), 4.55 – 4.50 (m, 1H), 3.56 (dd, $J = 17.5$, 5.9 Hz, 1H), 3.35 (dd, $J = 17.5$, 8.2 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 195.89, 194.92, 158.73, 152.24, 148.45, 135.10, 133.71, 131.48, 129.53, 128.97, 128.79, 124.92, 124.66, 121.53, 110.11, 108.10, 107.99, 102.09, 87.76, 43.96, 39.94; IR (ATR): ν 3056, 3006, 2988, 2880, 1792, 1761, 1734, 1717, 1698, 1685, 1671, 1653, 1636, 1616, 1539, 1352, 1264 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{NO}_5^+$ $[\text{M}+\text{H}]^+$: 388.1179, found : 388.1239.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-nitrophenyl)ethan-1-one (4aak): White solid, Yield =



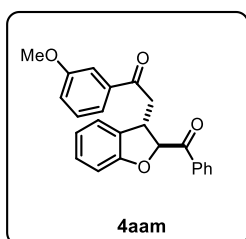
85% (65.9 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.34 – 8.26 (m, 2H), 8.15 – 8.05 (m, 4H), 7.67 – 7.57 (m, 1H), 7.55 – 7.46 (m, 2H), 7.24 – 7.14 (m, 2H), 6.93 – 6.90 (m, 1H), 6.87 (d, $J = 8.0$ Hz, 1H), 5.63 (d, $J = 5.7$ Hz, 1H), 4.62 – 4.58 (m, 1H), 3.67 (dd, $J = 17.9$, 6.0 Hz, 1H), 3.48 (dd, $J = 17.9$, 7.9 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 196.53, 194.76, 158.65, 150.70, 140.83, 135.03, 133.88, 129.58, 129.32, 129.25, 128.85, 128.42, 124.81, 124.13, 121.71, 110.29, 87.76, 44.65, 39.49; IR (ATR): ν 3060, 3002, 2990, 1870, 1844, 1772, 1717, 1653, 1636, 1615, 1577, 1507, 1459, 1440, 1420, 1319, 1260, 1217 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{18}\text{NO}_5^+$ $[\text{M}+\text{H}]^+$: 388.1179, found : 388.1179.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(2-methoxyphenyl)ethan-1-one (4aal): White solid,



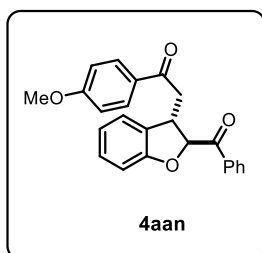
Yield = 90% (67.1 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.11 – 8.05 (m, 2H), 7.73 (dd, J = 7.7, 1.8 Hz, 1H), 7.63 – 7.57 (m, 1H), 7.50 – 7.46 (m, 3H), 7.21 (d, J = 7.4 Hz, 1H), 7.16 (t, J = 7.7 Hz, 1H), 7.02 – 6.94 (m, 2H), 6.92 – 6.85 (m, 2H), 5.68 (d, J = 5.6 Hz, 1H), 4.52 – 4.48 (m, 1H), 3.88 (s, 3H), 3.67 – 3.61 (m, 1H), 3.47 (dd, J = 17.9, 8.2 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 199.78, 195.15, 159.03, 158.83, 135.19, 134.25, 133.63, 130.77, 129.47, 129.41, 128.77, 128.76, 127.51, 124.96, 121.41, 120.92, 111.68, 109.97, 87.86, 55.63, 49.45, 40.25; IR (ATR): ν 3006, 2990, 2361, 2341, 2254, 1734, 1699, 1684, 1653, 1559, 1541, 1507, 1457, 1275, 1259 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_4$ $[\text{M}+\text{H}]^+$: 373.1434, found : 373.1410.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(3-methoxyphenyl)ethan-1-one (4aam): Off-white



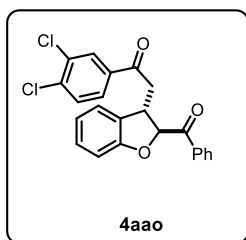
liquid, Yield = 85% (63.4 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.14 – 8.06 (m, 2H), 7.63 – 7.56 (m, 1H), 7.54 – 7.46 (m, 4H), 7.36 (t, J = 7.9 Hz, 1H), 7.24 (d, J = 7.4 Hz, 1H), 7.21 – 7.15 (m, 1H), 7.13 – 7.11 (m, 1H), 6.93 – 6.89 (m, 1H), 6.87 (d, J = 8.1 Hz, 1H), 5.66 (d, J = 5.5 Hz, 1H), 4.59 – 4.54 (m, 1H), 3.83 (s, 3H), 3.63 (dd, J = 17.8, 5.9 Hz, 1H), 3.43 (dd, J = 17.8, 8.2 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 197.73, 194.80, 160.00, 158.68, 137.83, 135.06, 133.69, 129.82, 129.50, 128.95, 128.92, 128.77, 124.89, 121.51, 120.87, 120.23, 112.26, 110.06, 87.68, 55.56, 44.28, 39.66; IR (ATR): ν 3058, 3006, 2990, 1772, 1761, 1734, 1717, 1684, 1653, 1598, 1560, 1510, 1478, 1431, 1276, 1224 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_4$ $[\text{M}+\text{H}]^+$: 373.1434, found : 373.1447.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(4-methoxyphenyl)ethan-1-one (4aan): White solid,



Yield = 87% (64.8 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.15 – 8.05 (m, 2H), 7.96 – 7.89 (m, 2H), 7.60 (t, J = 7.4 Hz, 1H), 7.49 (t, J = 7.8 Hz, 2H), 7.23 (d, J = 7.4 Hz, 1H), 7.17 (t, J = 7.7 Hz, 1H), 6.96 – 6.83 (m, 4H), 5.67 (d, J = 5.5 Hz, 1H), 4.55 – 4.51 (m, 1H), 3.86 (s, 3H), 3.59 (dd, J = 17.5, 5.9 Hz, 1H), 3.38 (dd, J = 17.5, 8.2 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 196.35, 194.92, 163.90, 158.73, 135.10, 133.67, 130.55, 129.67, 129.51, 129.10, 128.91, 128.77, 124.93, 121.49, 113.98, 110.06, 87.76, 55.64, 43.85, 39.89; IR (ATR): ν 3102, 3080, 3006, 2990, 1829, 1792, 1772, 1749, 1734, 1717, 1699, 1671, 1654, 1617, 1600, 1560, 1520, 1490, 1437, 1374, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{21}\text{O}_4$ $[\text{M}+\text{H}]^+$: 373.1434, found : 373.1420.

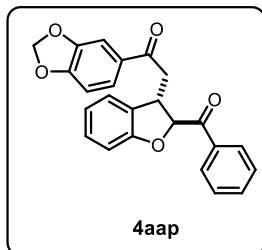
2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(3,4-dichlorophenyl)ethan-1-one (4aao): White solid,



Yield = 90% (74.1 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.11 – 8.08 (m, 2H), 8.03 (d, J = 2.0 Hz, 1H), 7.77 (dd, J = 8.4, 2.0 Hz, 1H), 7.64 – 7.59 (m, 1H), 7.57 – 7.48 (m, 3H), 7.23 – 7.15 (m, 2H), 6.93 – 6.89 (m, 1H), 6.87 (d, J = 8.0 Hz, 1H), 5.62 (d, J = 5.6 Hz, 1H), 4.58 – 4.53 (m, 1H), 3.59 (dd, J = 17.9, 6.0 Hz, 1H), 3.39 (dd, J = 17.9, 8.0 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 195.77, 194.74, 158.63, 138.27,

135.97, 135.00, 133.80, 133.60, 130.99, 130.25, 129.52, 129.13, 128.81, 128.54, 127.23, 124.83, 121.62, 110.18, 87.64, 44.14, 39.49; IR (ATR): ν 3115, 3098, 3006, 2990, 1792, 1772, 1734, 1717, 1700, 1684, 1636, 1600, 1560, 1520, 1475, 1419, 1362, 1276 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{23}\text{H}_{17}\text{Cl}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 411.0549, found : 411.0553.

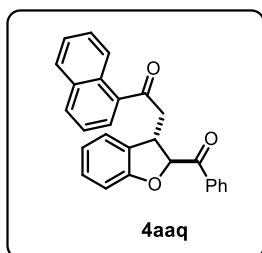
1-(benzo[d][1,3]dioxol-5-yl)-2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)ethan-1-one (4aap): White



solid, Yield = 87% (67.3 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.09 – 8.08 (m, 2H), 7.62 – 7.58 (m, 1H), 7.55 (dd, J = 8.2, 1.7 Hz, 1H), 7.49 – 7.47 (m, 2H), 7.42 (d, J = 1.7 Hz, 1H), 7.22 (d, J = 7.4 Hz, 1H), 7.17 (t, J = 7.7 Hz, 1H), 6.94 – 6.88 (m, 1H), 6.87 – 6.83 (m, 2H), 6.04 (s, 2H), 5.65 (d, J = 5.5 Hz, 1H), 4.54 – 4.50 (m, 1H), 3.56 (dd, J = 17.5, 5.9 Hz, 1H), 3.35 (dd, J = 17.5, 8.2 Hz, 1H); ^{13}C NMR

(125 MHz, CDCl_3) δ 195.89, 194.91, 158.72, 152.23, 148.44, 135.10, 133.70, 131.47, 129.52, 128.99, 128.96, 128.78, 124.91, 124.66, 121.52, 110.10, 108.09, 107.98, 102.08, 87.75, 43.95, 39.93; IR (ATR): ν 3115, 3099, 3049, 3006, 2990, 1772, 1734, 1717, 1699, 1684, 1636, 1617, 1559, 1521, 1489, 1457, 1262 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{24}\text{H}_{19}\text{O}_5^+$ $[\text{M}+\text{H}]^+$: 387.1227, found : 387.1225.

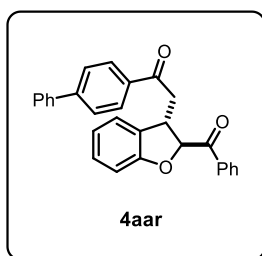
2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(naphthalen-1-yl)ethan-1-one (4aaq): White solid, Yield



= 78% (61.3 mg); ^1H NMR (500 MHz, CDCl_3) δ 8.65 (d, J = 8.5 Hz, 1H), 8.17 – 8.07 (m, 2H), 8.01 (d, J = 8.2 Hz, 1H), 7.93 – 7.84 (m, 2H), 7.66 – 7.45 (m, 6H), 7.26 – 7.28 (m, 1H), 7.18 (dd, J = 8.0, 7.5 Hz, 1H), 6.96 – 6.84 (m, 2H), 5.74 (d, J = 5.6 Hz, 1H), 4.66 – 4.62 (m, 1H), 3.71 (dd, J = 17.5, 6.1 Hz, 1H), 3.57 (dd, J = 17.5, 7.9 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 201.66, 195.01, 158.73,

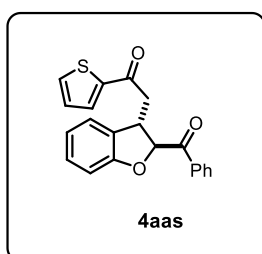
135.12, 134.85, 134.08, 133.70, 133.50, 130.22, 129.48, 128.97, 128.90, 128.79, 128.60, 128.44, 128.36, 126.70, 125.86, 124.92, 124.41, 121.55, 110.11, 87.70, 47.10, 40.23; IR (ATR): ν 3101, 3064, 3005, 2990, 1829, 1792, 1772, 1734, 1717, 1671, 1636, 1617, 1576, 1540, 1500, 1489, 1474, 1420, 1339, 1225 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{27}\text{H}_{21}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 393.1485, found : 393.1491.

1-([1,1'-biphenyl]-4-yl)-2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)ethan-1-one (4aar): White solid,



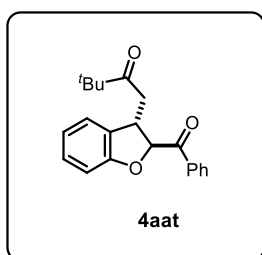
Yield = 81% (67.8 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.15 – 8.08 (m, 2H), 8.06 – 8.01 (m, 2H), 7.72 – 7.66 (m, 2H), 7.65 – 7.58 (m, 3H), 7.54 – 7.44 (m, 4H), 7.44 – 7.39 (m, 1H), 7.25 (d, $J = 6.1$ Hz, 1H), 7.20 – 7.17 (m, 1H), 6.94 – 6.86 (m, 2H), 5.69 (d, $J = 5.5$ Hz, 1H), 4.61 – 4.57 (m, 1H), 3.68 (dd, $J = 17.7, 6.0$ Hz, 1H), 3.47 (dd, $J = 17.7, 8.1$ Hz, 1H); $^{13}\text{C NMR}$ (126 MHz, CDCl_3) δ 197.48, 194.90, 158.73, 146.30, 139.81, 135.21, 135.10, 133.72, 129.53, 129.12, 129.06, 128.99, 128.86, 128.80, 128.49, 127.47, 127.40, 124.94, 121.55, 110.11, 87.77, 44.25, 39.78; IR (ATR): ν 3119, 3001, 3006, 2990, 1829, 1790, 1770, 1749, 1734, 1717, 1700, 1654, 1636, 1576, 1541, 1437, 1374, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{29}\text{H}_{23}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 419.1642, found : 419.1626.

2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-1-(thiophen-2-yl)ethan-1-one (4aas): White solid, Yield =



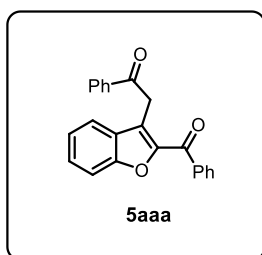
84% (58.5 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.09 – 8.06 (m, 2H), 7.73 (dd, $J = 3.8, 1.1$ Hz, 1H), 7.66 (dd, $J = 4.9, 1.1$ Hz, 1H), 7.63 – 7.57 (m, 1H), 7.51 – 7.48 (m, 2H), 7.23 (d, $J = 7.4$ Hz, 1H), 7.17 (t, $J = 7.8$ Hz, 1H), 7.14 (dd, $J = 4.9, 3.8$ Hz, 1H), 6.92 – 6.86 (m, 2H), 5.70 (d, $J = 5.4$ Hz, 1H), 4.55 – 4.51 (m, 1H), 3.54 (dd, $J = 17.0, 6.2$ Hz, 1H), 3.37 (dd, $J = 17.0, 8.1$ Hz, 1H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 194.81, 190.70, 158.73, 143.84, 134.97, 134.38, 133.76, 132.49, 129.52, 129.07, 128.81, 128.67, 128.42, 124.93, 121.58, 110.15, 87.59, 44.62, 40.01; IR (ATR): ν 3117, 3101, 3064, 3006, 2990, 1790, 1772, 1749, 1734, 1717, 1699, 1670, 1617, 1576, 1541, 1497, 1457, 1397, 1260 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{21}\text{H}_{17}\text{O}_3\text{S}^+$ $[\text{M}+\text{H}]^+$: 349.0893, found : 349.0874.

1-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)-3,3-dimethylbutan-2-one (4aat): White solid, Yield = 76%



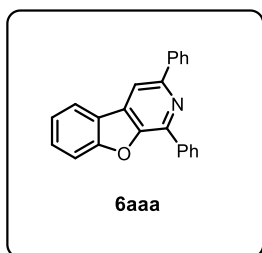
(49.0 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.08 – 8.06 (m, 2H), 7.62 – 7.57 (m, 1H), 7.51 – 7.48 (m, 2H), 7.17 – 7.13 (m, 2H), 6.90 – 6.88 (m, 2H), 5.52 (d, $J = 5.7$ Hz, 1H), 4.38 – 4.34 (m, 1H), 3.17 (dd, $J = 18.0, 6.2$ Hz, 1H), 2.92 (dd, $J = 18.0, 7.9$ Hz, 1H), 1.15 (s, 9H); $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ 213.88, 194.93, 158.64, 135.04, 133.67, 129.47, 129.12, 128.88, 128.76, 124.78, 121.47, 110.03, 87.96, 44.23, 42.33, 39.59, 26.46; IR (ATR): ν 3004, 2987, 2868, 2843, 1844, 1829, 1790, 1772, 1749, 1734, 1717, 1699, 1684, 1654, 1640, 1520, 1475, 1460, 1261 cm^{-1} ; HRMS (ES+) calc. for $\text{C}_{21}\text{H}_{23}\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 323.1642, found : 323.1639.

2-(2-benzoylbenzofuran-3-yl)-1-phenylethan-1-one (5aaa): Yellowish oil, Yield = 60% (40.9 mg); ¹H



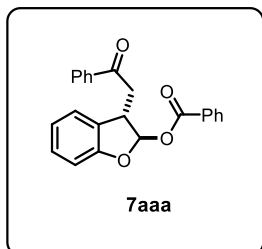
NMR (500 MHz, CDCl₃) δ 8.19 – 8.14 (m, 4H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.61 – 7.57 (m, 3H), 7.57 – 7.49 (m, 5H), 7.38 – 7.33 (m, 1H), 4.98 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ 195.89, 186.08, 154.56, 149.00, 137.55, 136.68, 133.57, 133.00, 130.04, 128.87, 128.79, 128.66, 128.49, 124.10, 123.92, 122.12, 112.54, 35.33; IR (ATR): ν 3173, 3135, 3068, 3006, 2990, 2957, 1792, 1772, 1749, 1735, 1716, 1686, 1638, 1597, 1559, 1541, 1448, 1276 cm⁻¹; HRMS (ES⁺) calc. for C₂₃H₁₇O₃⁺ [M+H]⁺: 341.1172, found : 341.1175.

1,3-diphenylbenzofuro[2,3-c]pyridine (6aaa): yellowish solid, Yield = 88% (84.85 mg); ¹H NMR (500



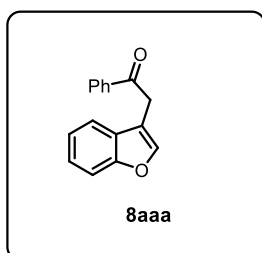
MHz, CDCl₃) δ 8.64 (d, *J* = 7.7 Hz, 2H), 8.27 – 8.20 (m, 3H), 8.05 (d, *J* = 7.5 Hz, 1H), 7.69 (d, *J* = 8.3 Hz, 1H), 7.66 – 7.59 (m, 3H), 7.58 – 7.51 (m, 3H), 7.50 – 7.41 (m, 2H); ¹³C NMR (125 MHz, CDCl₃) δ 157.09, 150.80, 149.93, 141.39, 139.82, 136.50, 133.33, 129.90, 129.45, 128.88, 128.83, 128.67, 128.54, 127.17, 123.50, 122.70, 121.98, 112.64, 110.74; IR (ATR): ν 3166, 3134, 3101, 3065, 1829, 1792, 1772, 1749, 1717, 1698, 1684, 1653, 1576, 1559, 1507, 1489, 1557, 1374, 1259 cm⁻¹; HRMS (ES⁺) calc. for C₂₃H₁₆NO⁺ [M+H]⁺: 322.1226, found : 322.1207.

(2S,3R)-3-(2-oxo-2-phenylethyl)-2,3-dihydrobenzofuran-2-yl benzoate (7aaa): colourless solid, Yield



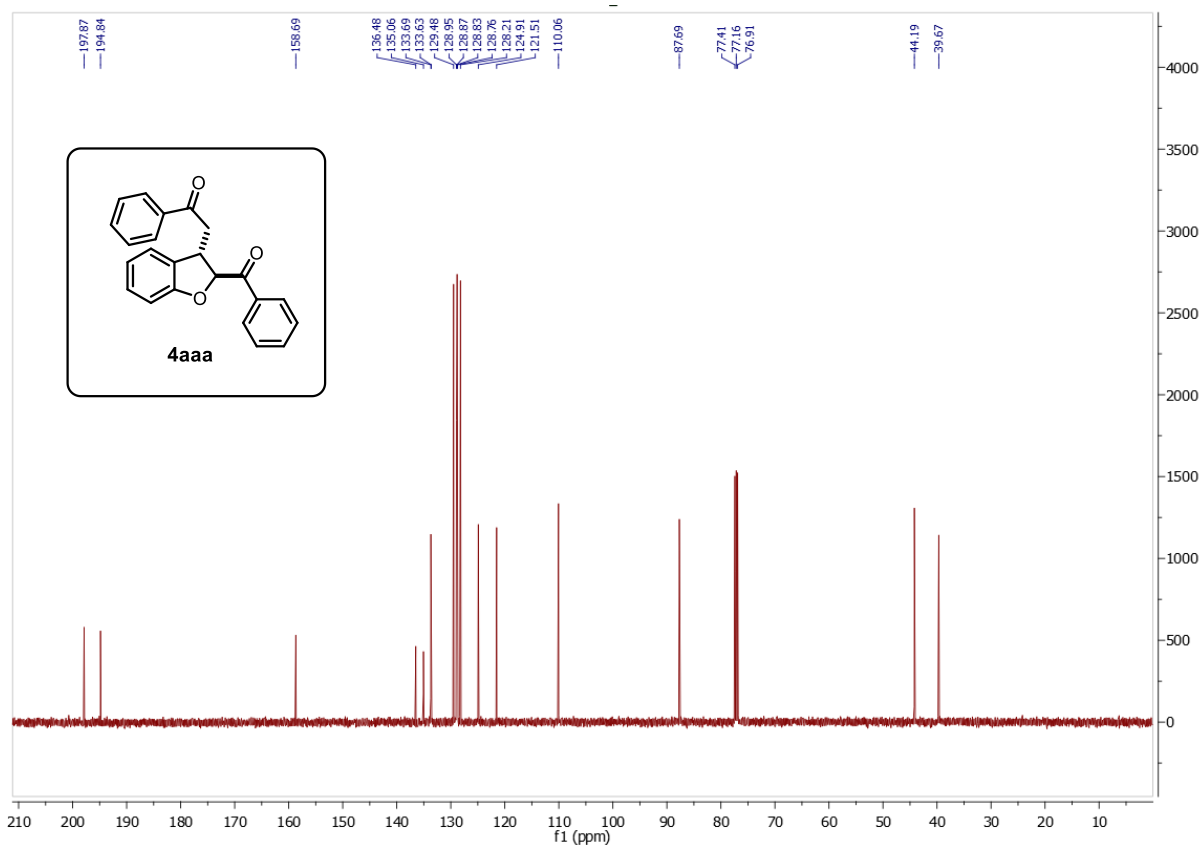
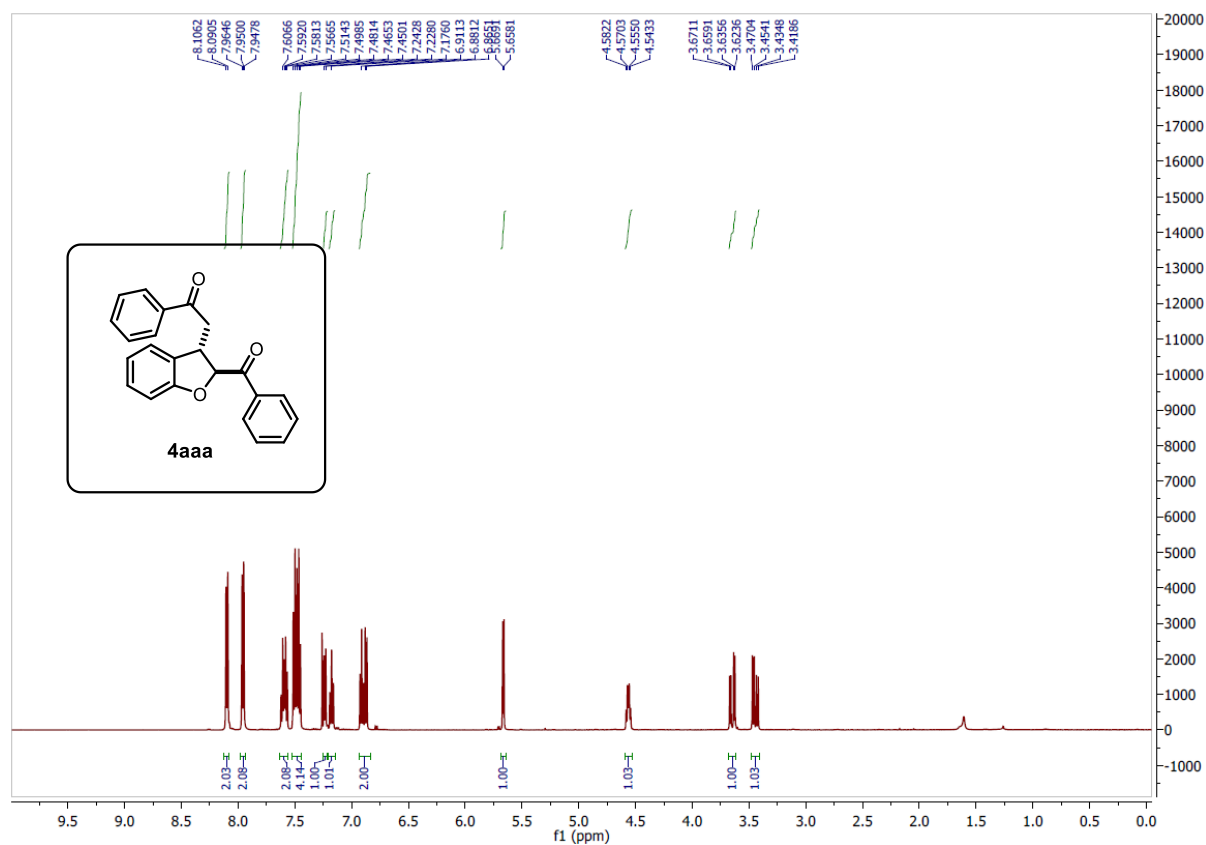
= 85% (144.2 mg); ¹H NMR (500 MHz, CDCl₃) δ 8.07 (d, *J* = 7.4 Hz, 2H), 7.95 (d, *J* = 7.5 Hz, 2H), 7.57 (q, *J* = 7.5 Hz, 2H), 7.48 – 7.41 (m, 4H), 7.35 (d, *J* = 7.4 Hz, 1H), 7.23 (t, *J* = 7.8 Hz, 1H), 7.00 – 6.95 (m, 2H), 6.80 (s, 1H), 4.22 (t, *J* = 7.3 Hz, 1H), 3.49 – 3.34 (m, 2H); ¹³C NMR (125 MHz, CDCl₃) δ 197.18, 165.67, 157.99, 136.44, 133.67, 133.60, 130.15, 129.45, 129.03, 128.85, 128.48, 128.28, 128.17, 125.21, 122.20, 110.55, 103.45, 43.68, 42.34; IR (ATR): ν 3167, 3111, 3066, 3006, 2990, 1844, 1800, 1772, 1761, 1733, 1718, 1684, 1653, 1541, 1474, 1339, 1259 cm⁻¹; HRMS (ES⁺) calc. for C₂₃H₁₈NaO₄⁺ [M+Na]⁺: 381.1097, found : 381.1078.

2-(benzofuran-3-yl)-1-phenylethan-1-one (8aaa): Yellowish oil, Yield = 67 % (23.8 mg mg); ¹H NMR

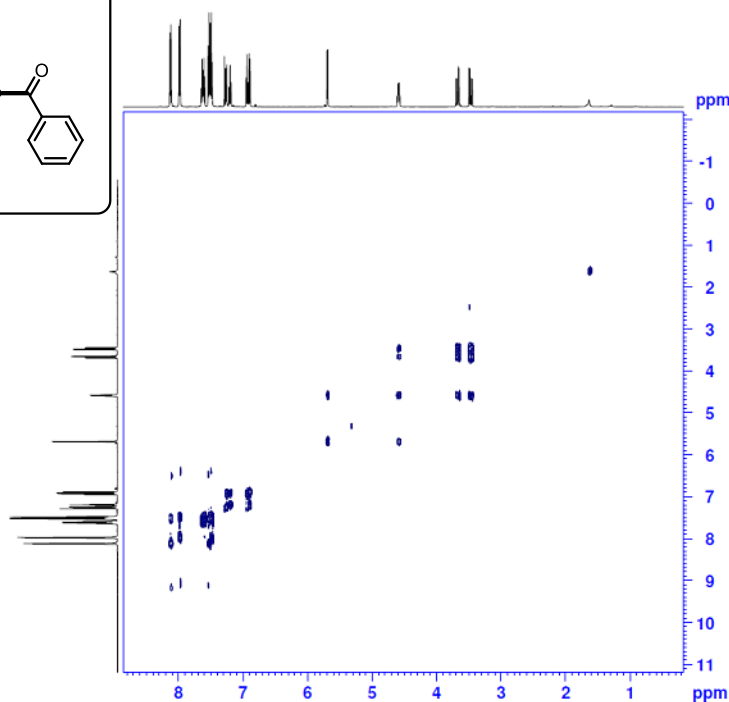
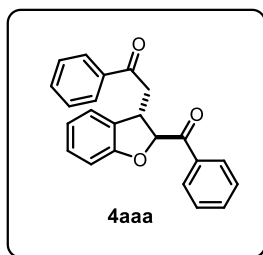


(500 MHz, CDCl₃) δ 8.14 – 8.03 (m, 2H), 7.66 (s, 1H), 7.62 – 7.57 (m, 1H), 7.57 – 7.53 (m, 1H), 7.51 – 7.48 (m, 3H), 7.34 – 7.29 (m, 1H), 7.28 – 7.23 (m, 1H), 4.37 (d, *J* = 1.0 Hz, 2H); ¹³C NMR (125 MHz, CDCl₃) δ 196.39, 155.24, 143.14, 136.40, 133.53, 128.86, 128.54, 127.95, 124.55, 122.74, 119.79, 113.59, 111.65, 33.91; IR (ATR): ν 3063, 3039, 3006, 2989, 1828, 1802, 1772, 1734, 1686, 1653, 1598, 1580, 1452, 1338, 1276, 1265 cm⁻¹; HRMS (ES⁺) calc. for C₁₆H₁₃O₂⁺ [M+H]⁺: 237.0910 found : 237.0854.

6. ^1H and ^{13}C NMR spectra



CDCl_3 , 500 MHz ^1H NMR and 125 MHz ^{13}C NMR Spectra of **4aaa**



```

Current Data Parameters
NAME      Anahul Jain 1
EXPNO    16
PROCNO   1

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Time     12.28
INSTRUM  spect
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PULPROG  noesypphpg
TD       2048
SOLVENT  CDCl3
NS       2
DS       8
SWH      4347.826 Hz
FIDRES   2.122962 Hz
AQ       0.2355200 sec
RG       64
SFO1     500.1322593 MHz
NUC1     1H
P1       14.15 usec
P2       14.15 usec
P17      5000.00 usec
P181     15.0000000 W
P182     3.33699999 W

===== CHANNEL f1 =====
SFO1     500.1322593 MHz
NUC1     1H
P1       14.15 usec
P2       14.15 usec
P17      5000.00 usec
P181     15.0000000 W
P182     3.33699999 W

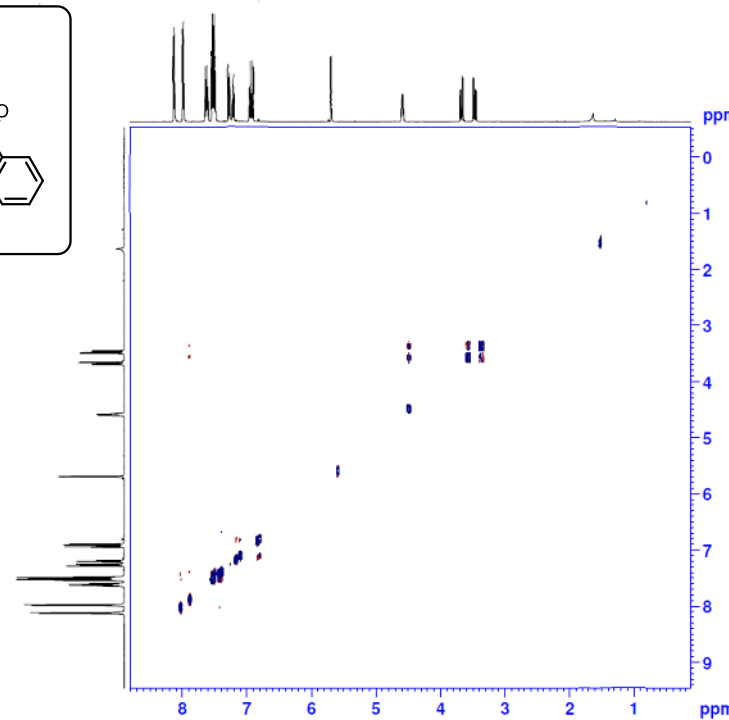
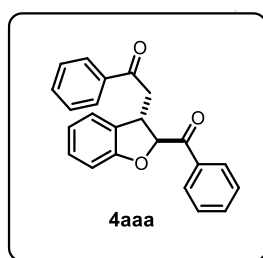
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GPR1     10.00 kHz
P16      1000.00 usec

F1 - Acquisition parameters
TD       256
SFO1     500.1322593 MHz
FIDRES   19.331250 Hz
SWH      9.994 ppm
F2MODE   States-TPP1

F2 - Processing parameters
SI       1024
SF       500.1300000 MHz
WDW      Q5INE
SSB      0
LB       0 Hz
GB       0
PC       1.40

F1 - Processing parameters
SI       1024
MC2      States-TPP1
SF       500.1300000 MHz
WDW      Q5INE
SSB      0
LB       0 Hz
GB       0
  
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CDCl₃, 500 MHz ¹H-¹H COSY Spectra of **4aaa**



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Current Data Parameters
NAME      Anahul Jain 1
EXPNO    17
PROCNO   1

F2 - Acquisition Parameters
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PULPROG  noesypphpg
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SOLVENT  CDCl3
NS       2
DS       8
SWH      4347.826 Hz
FIDRES   2.122962 Hz
AQ       0.2355200 sec
RG       64
SFO1     500.1322844 MHz
NUC1     1H
P1       14.15 usec
P2       14.15 usec
P17      5000.00 usec
P181     15.0000000 W
P182     3.33699999 W

===== CHANNEL f1 =====
SFO1     500.1322844 MHz
NUC1     1H
P1       14.15 usec
P2       14.15 usec
P17      5000.00 usec
P181     15.0000000 W
P182     3.33699999 W

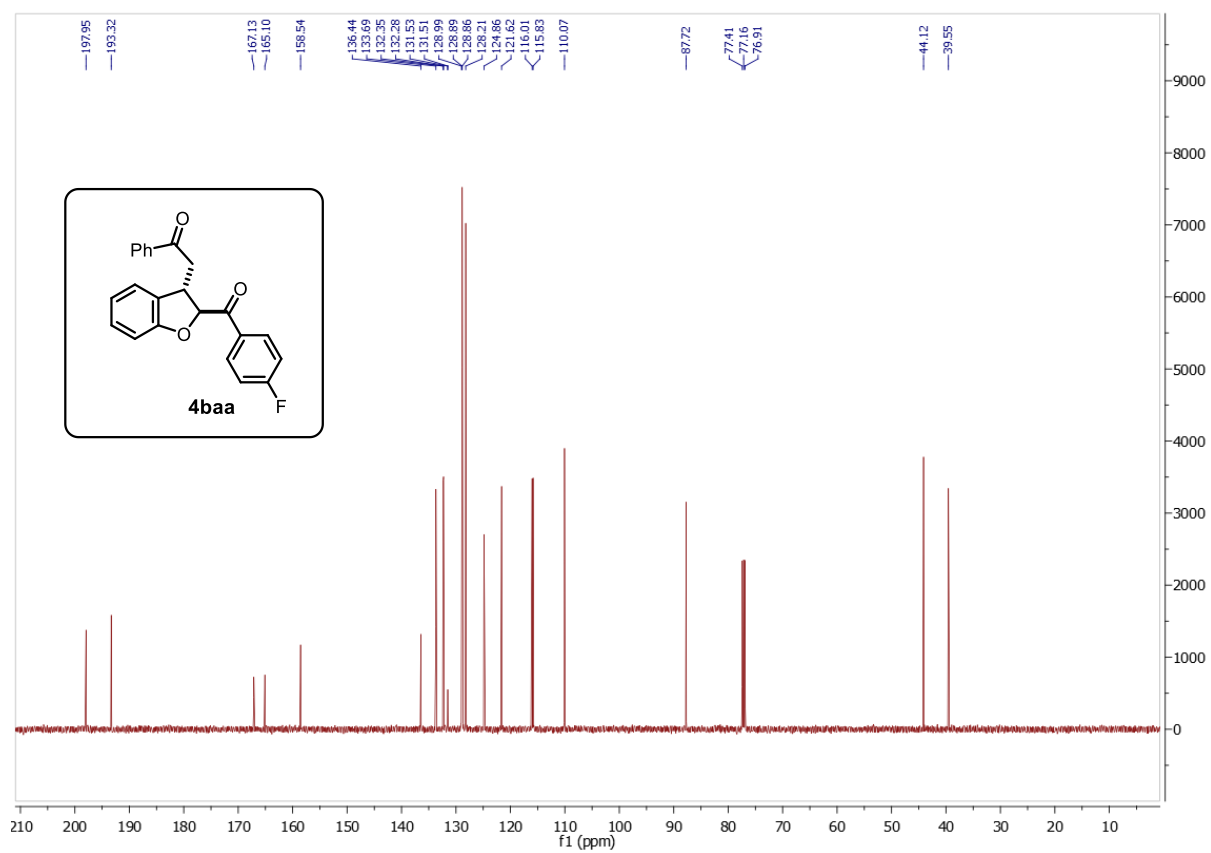
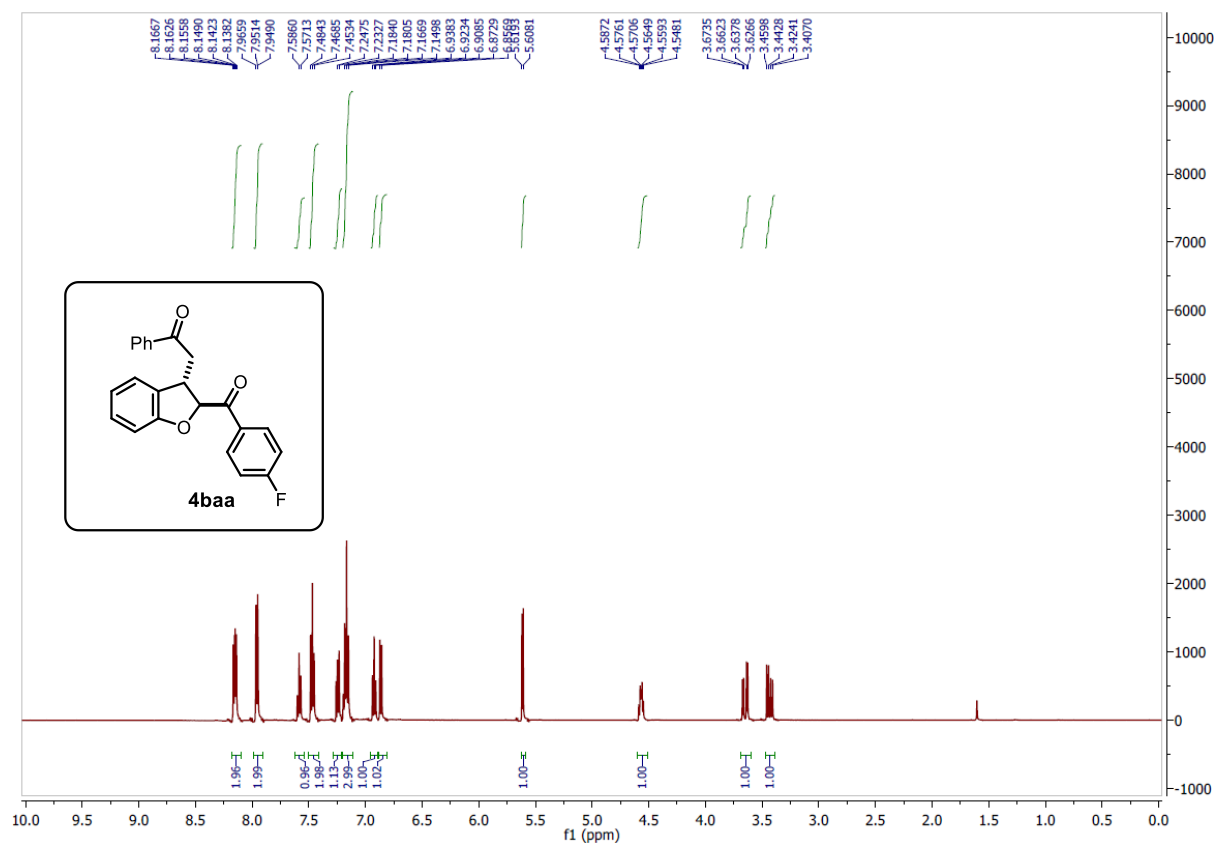
===== GRADIENT CHANNEL =====
CPGRAM1  SMSQ10.100
GPR1     10.00 kHz
P16      1000.00 usec

F1 - Acquisition parameters
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SFO1     500.1322593 MHz
FIDRES   19.331250 Hz
SWH      9.994 ppm
F2MODE   States-TPP1

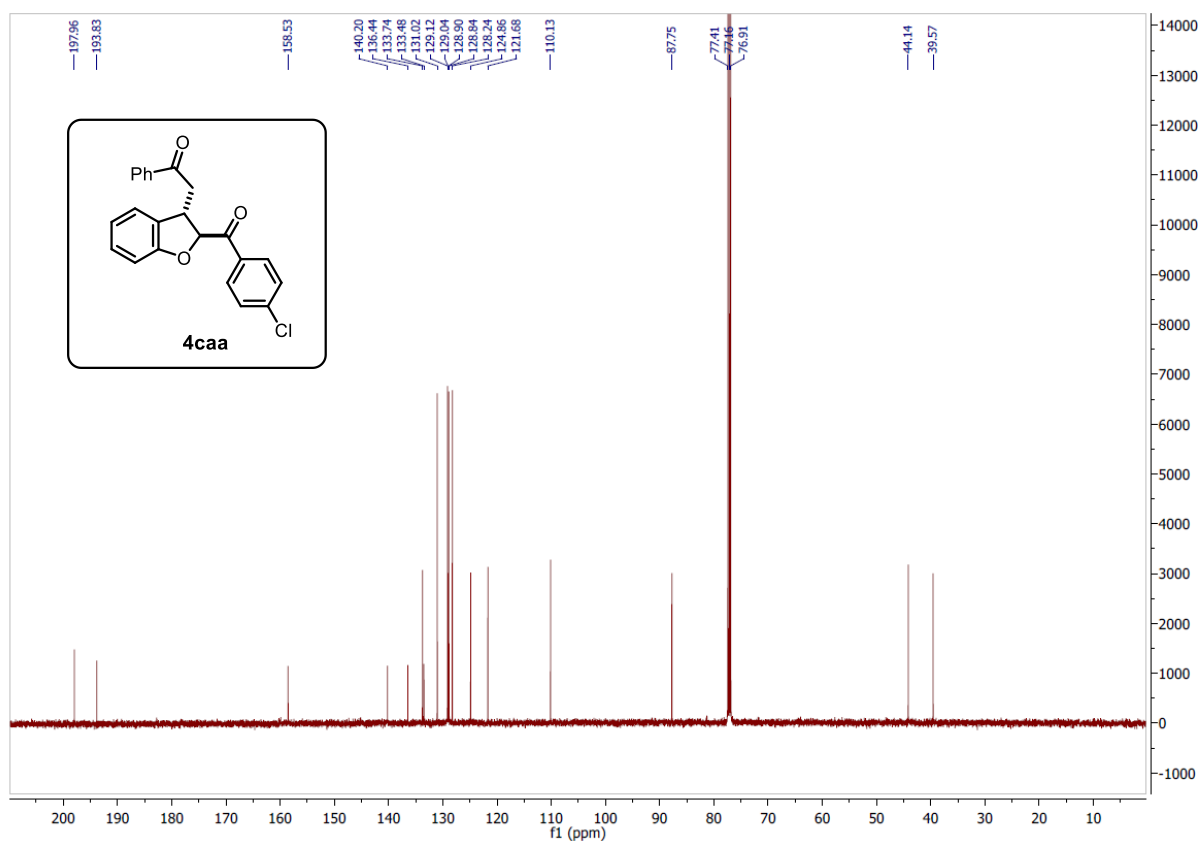
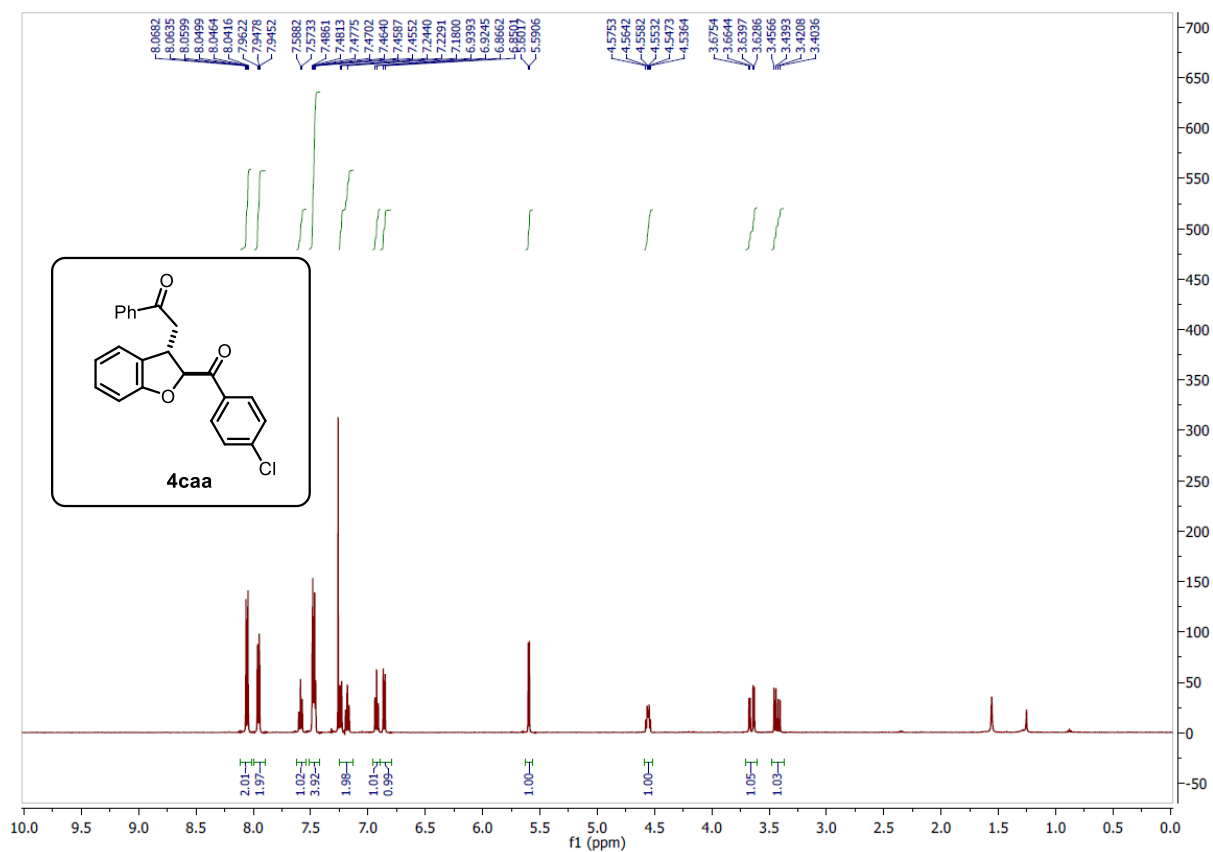
F2 - Processing parameters
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SF       500.1300000 MHz
WDW      Q5INE
SSB      0
LB       0 Hz
GB       0
PC       1.00

F1 - Processing parameters
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MC2      States-TPP1
SF       500.1300000 MHz
WDW      Q5INE
SSB      0
LB       0 Hz
GB       0
  
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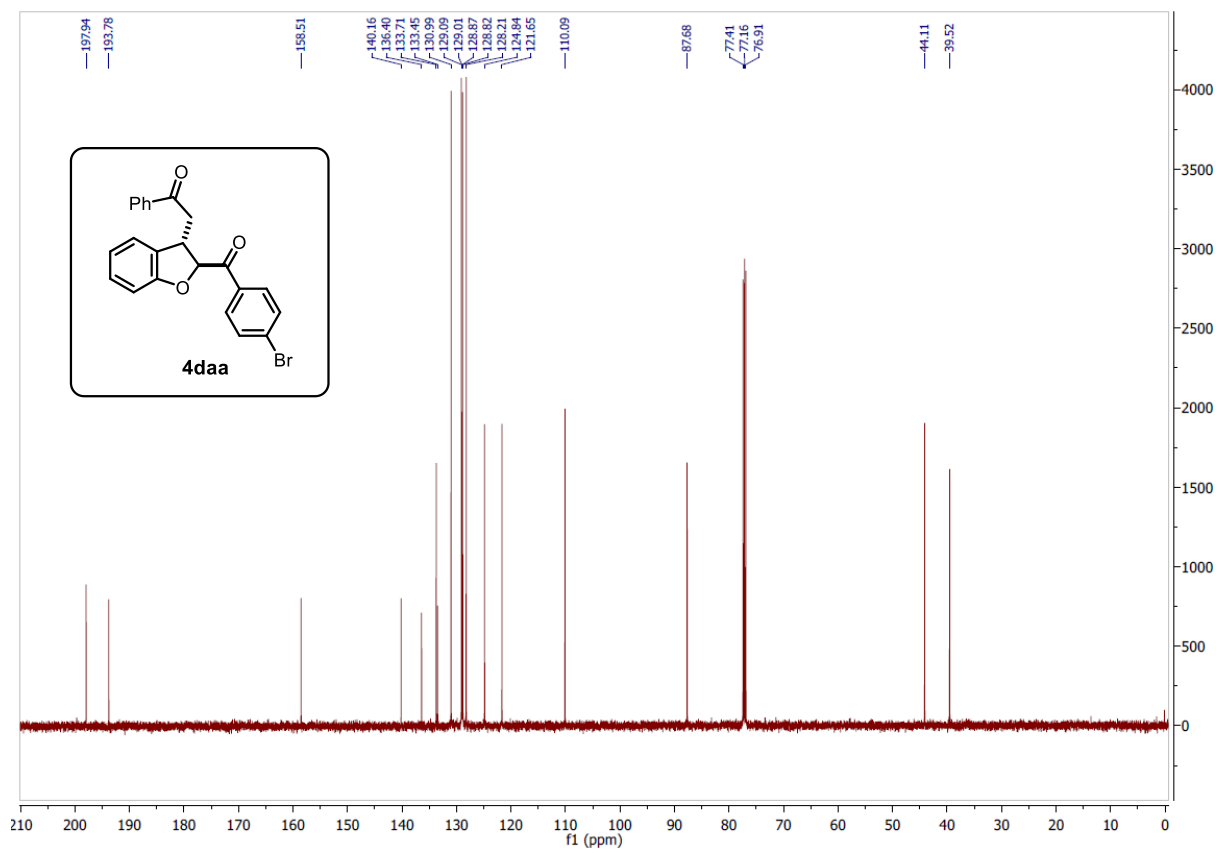
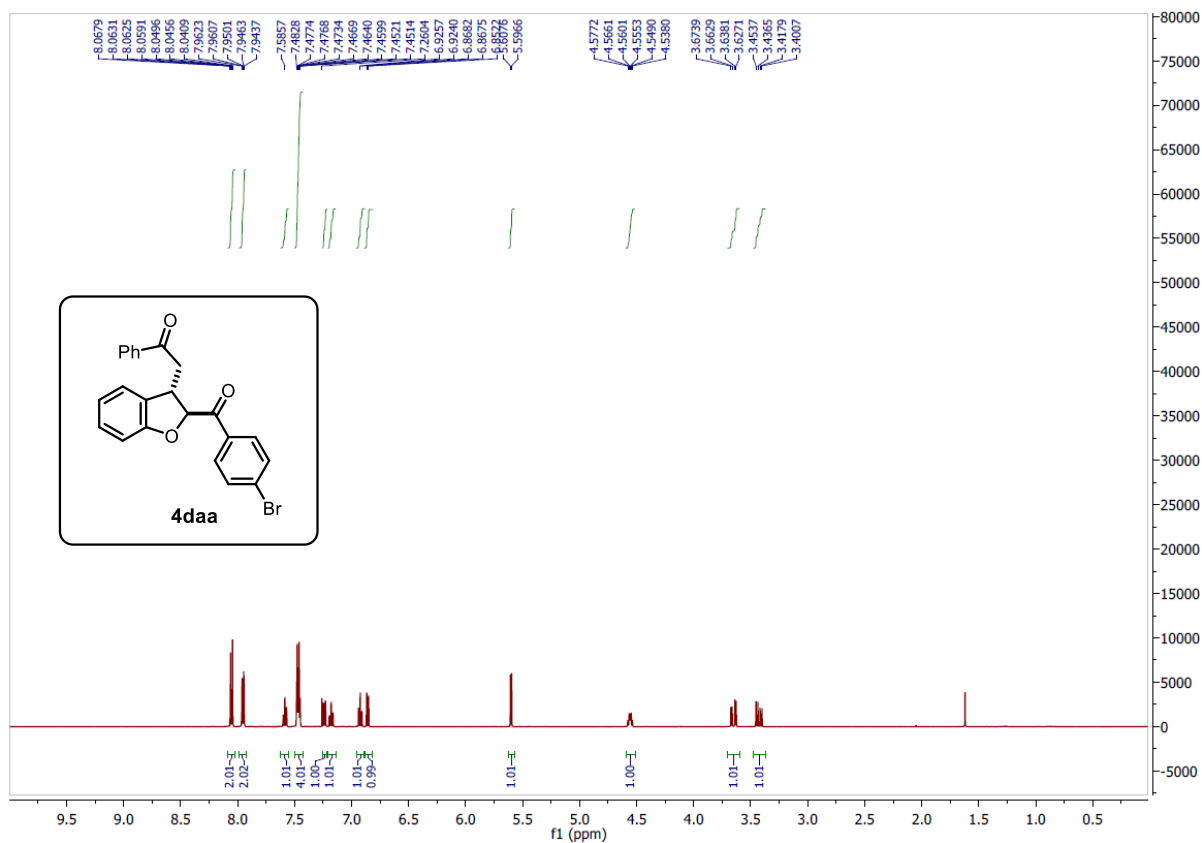
CDCl₃, 500 MHz NOESY Spectra of **4aaa**



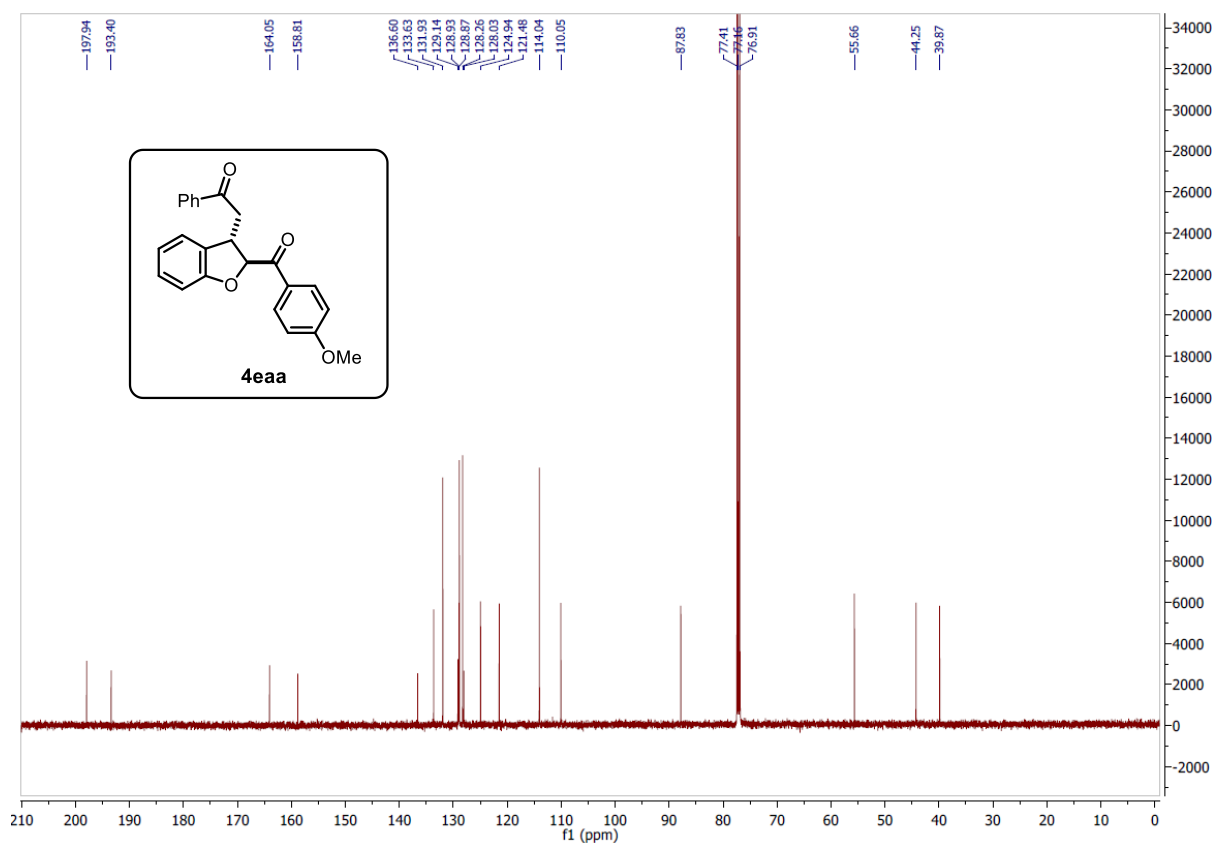
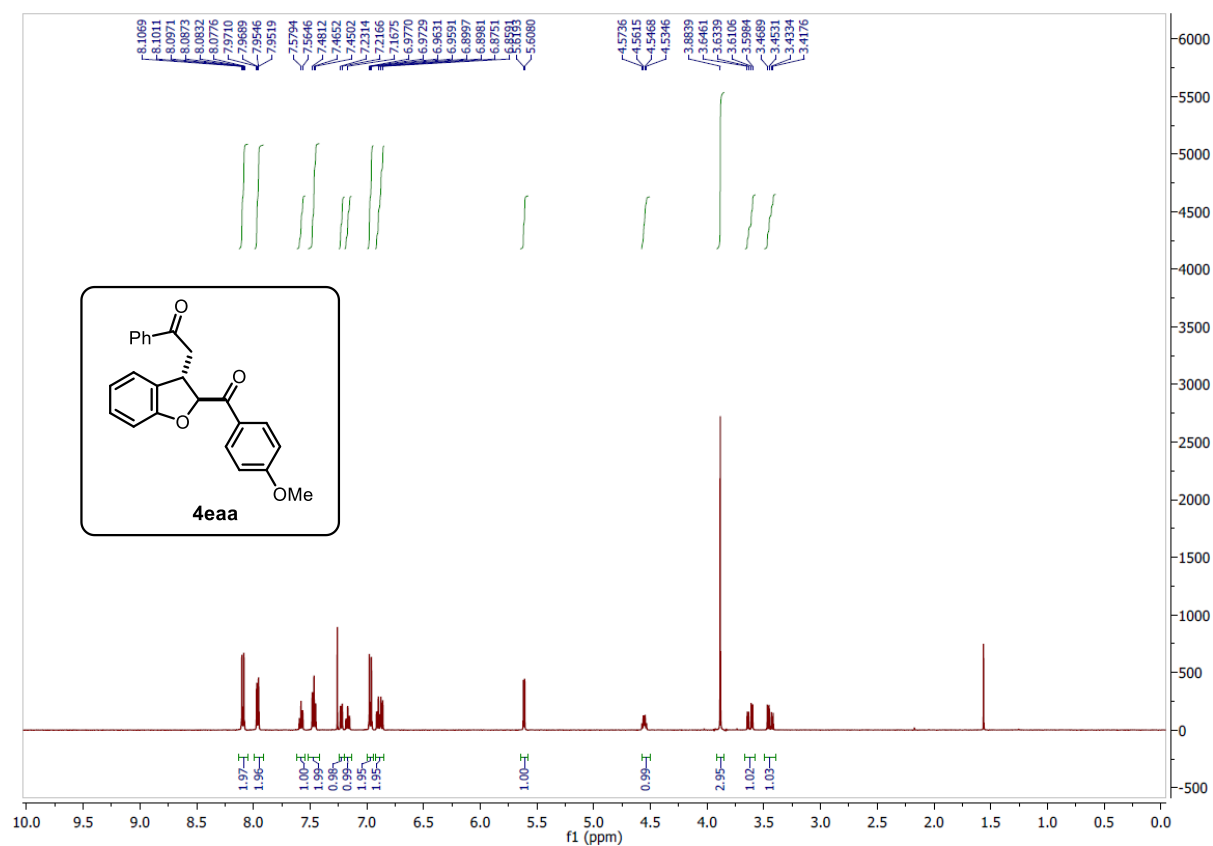
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4baa**



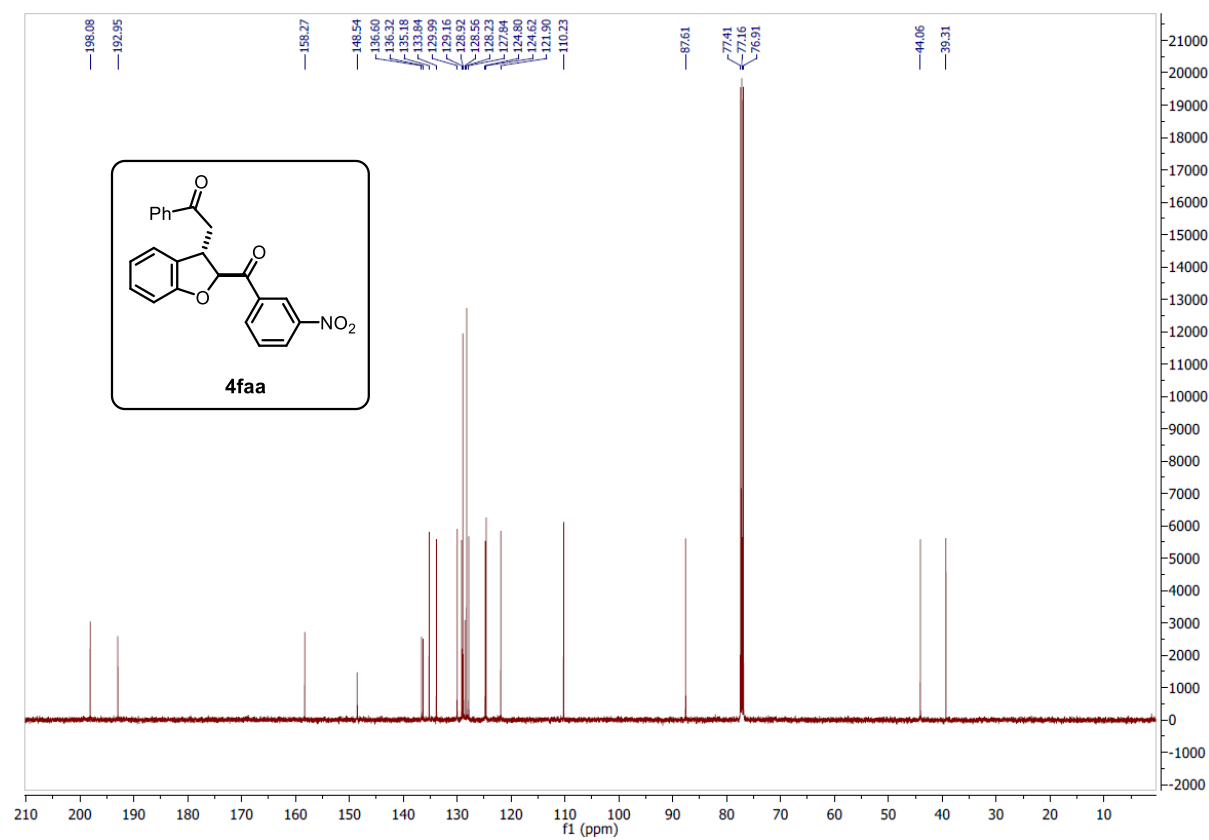
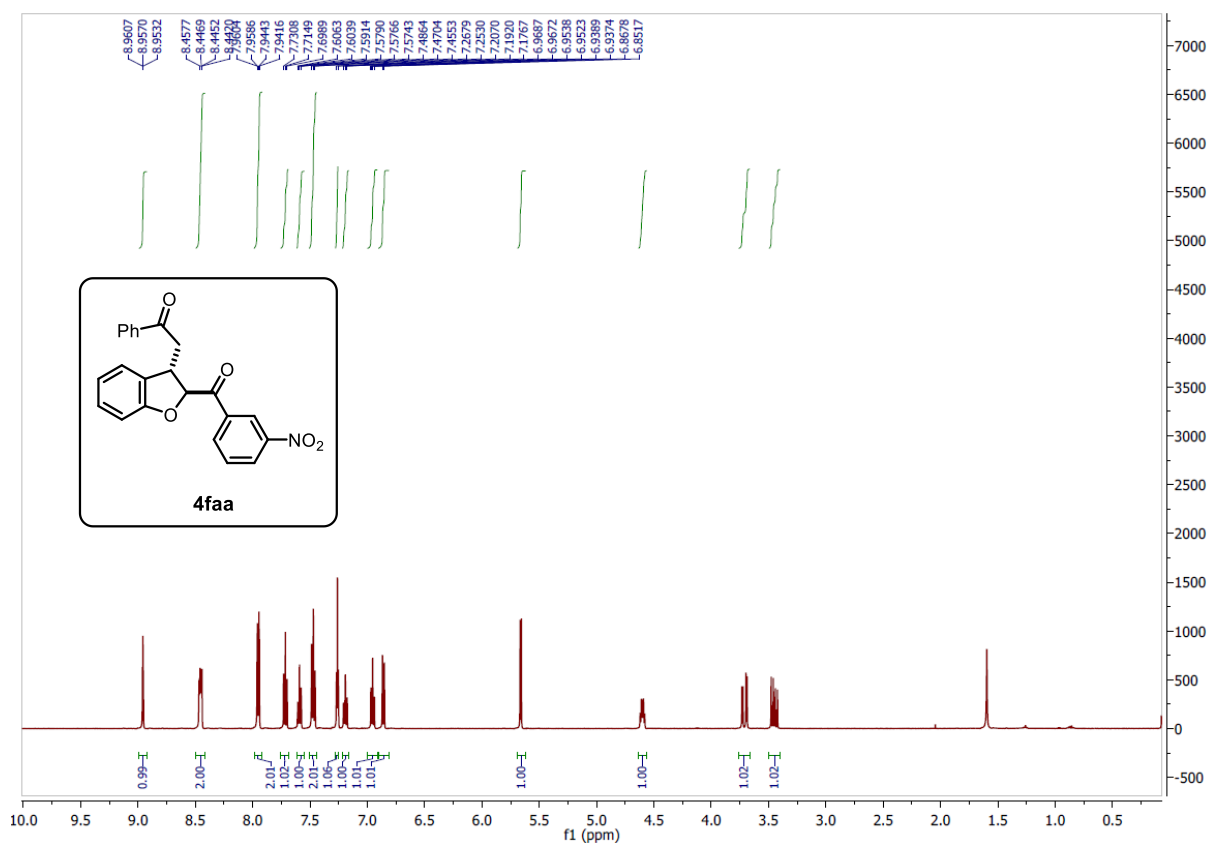
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4caa**



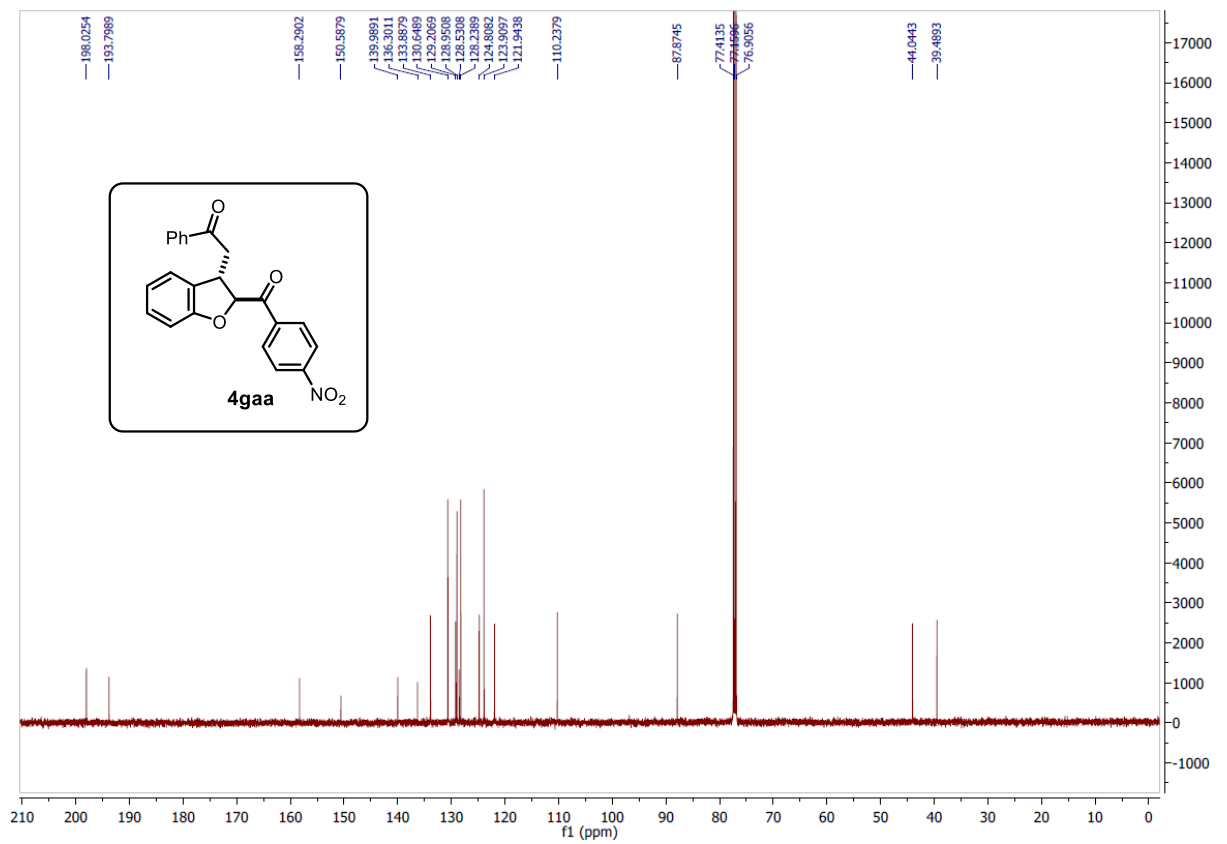
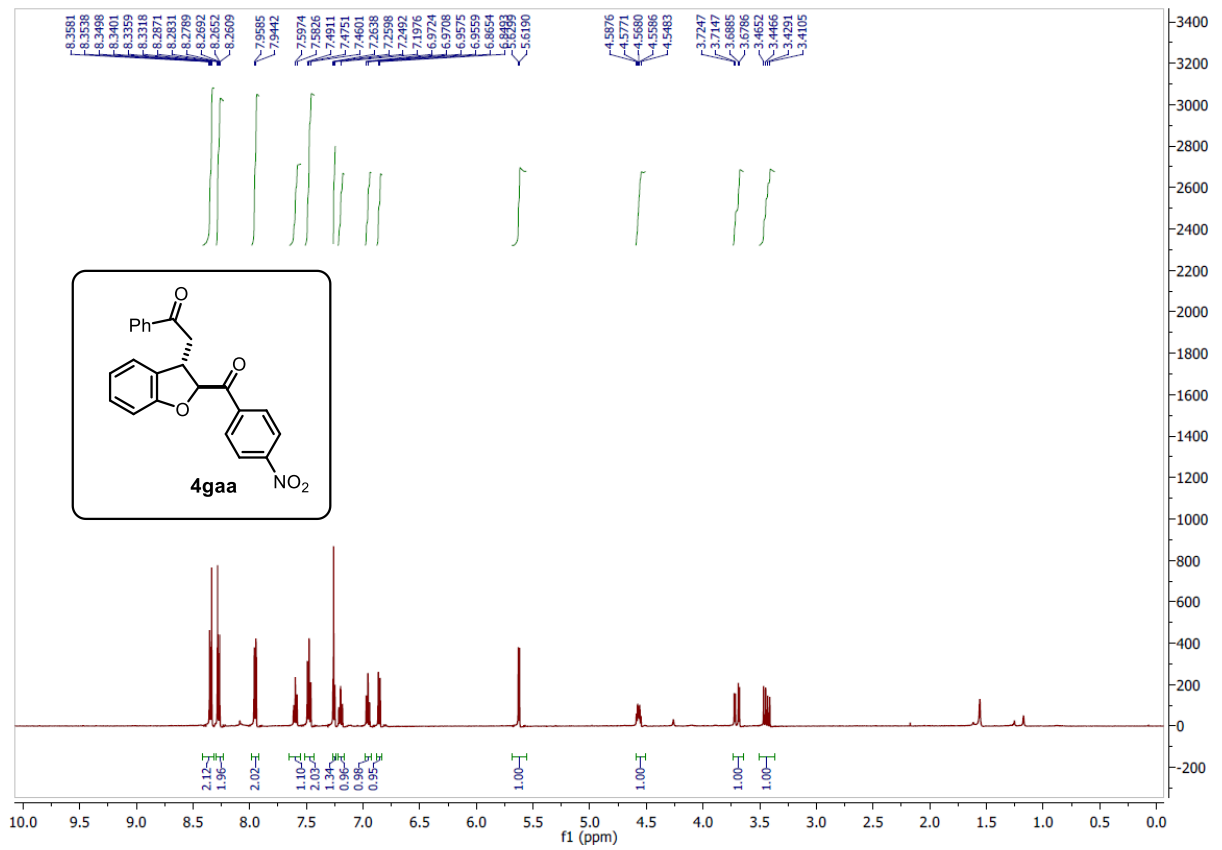
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of 4daa



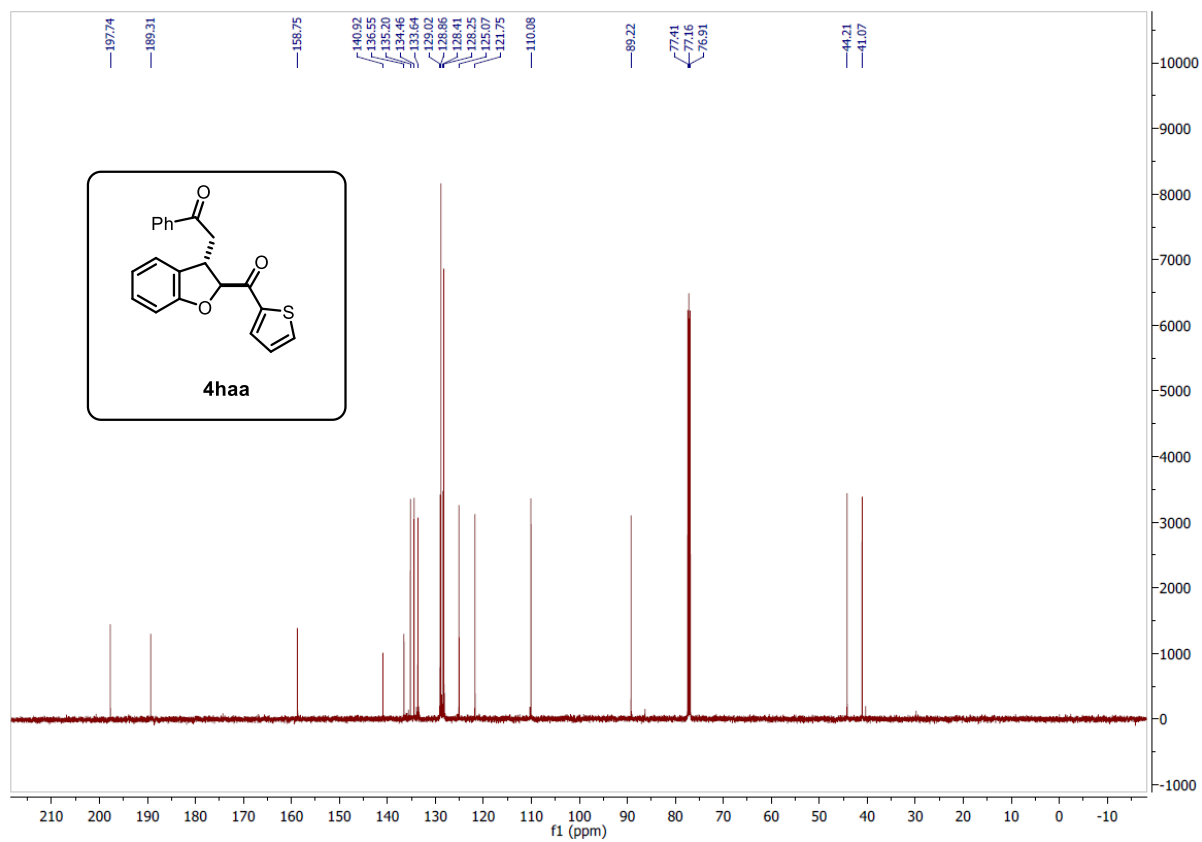
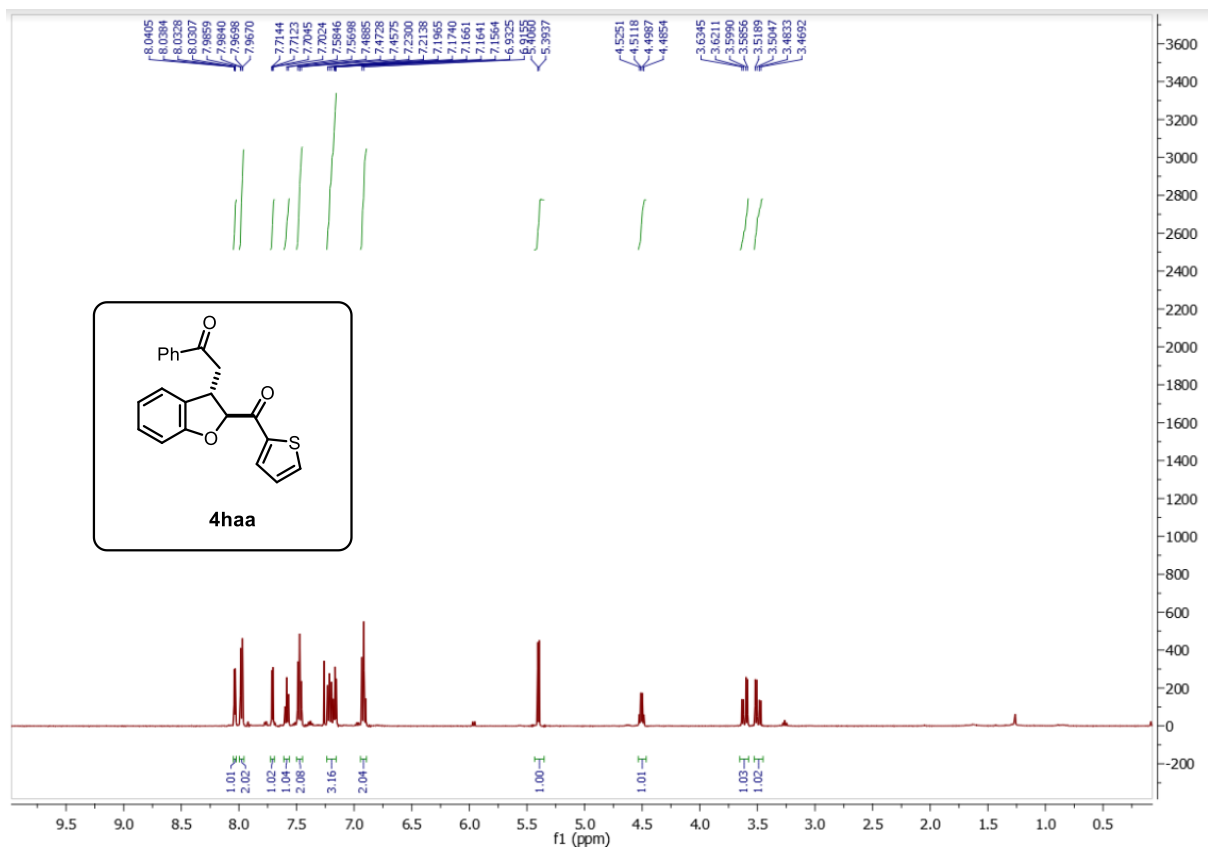
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4eaa**



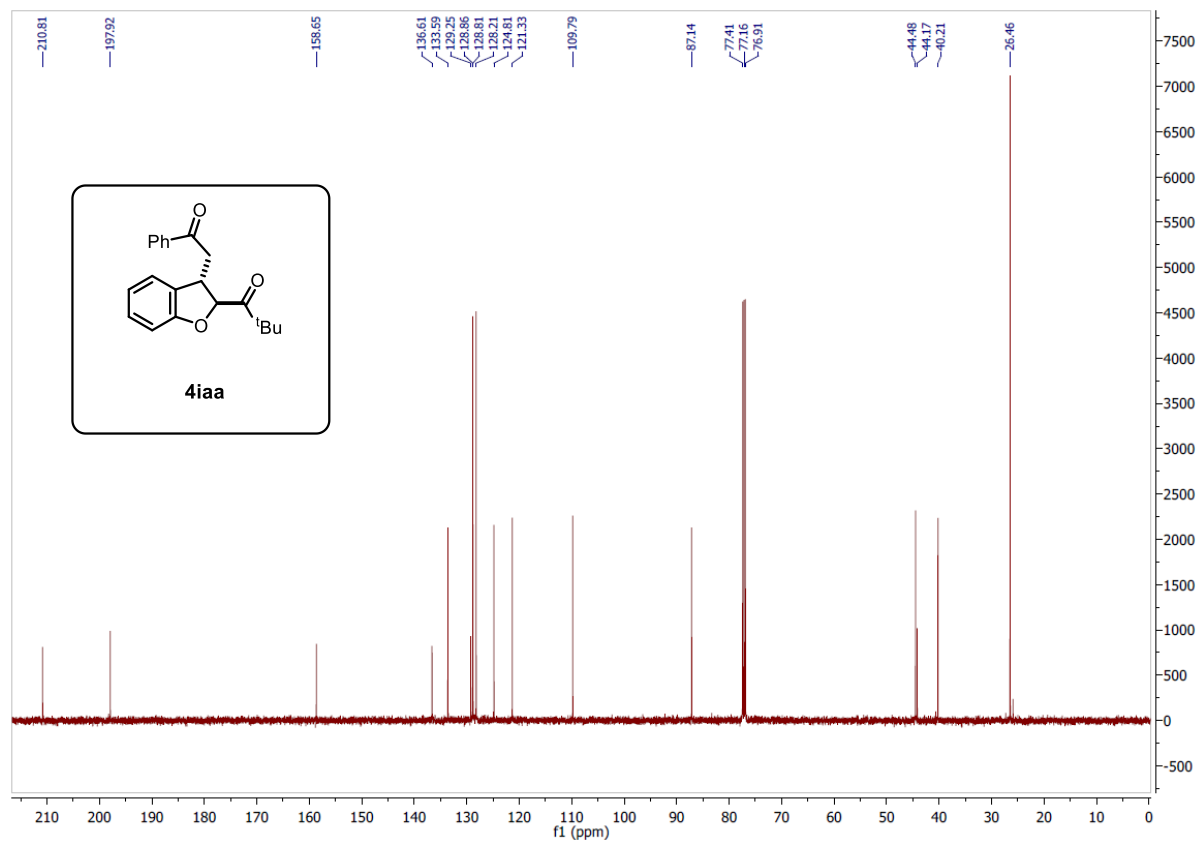
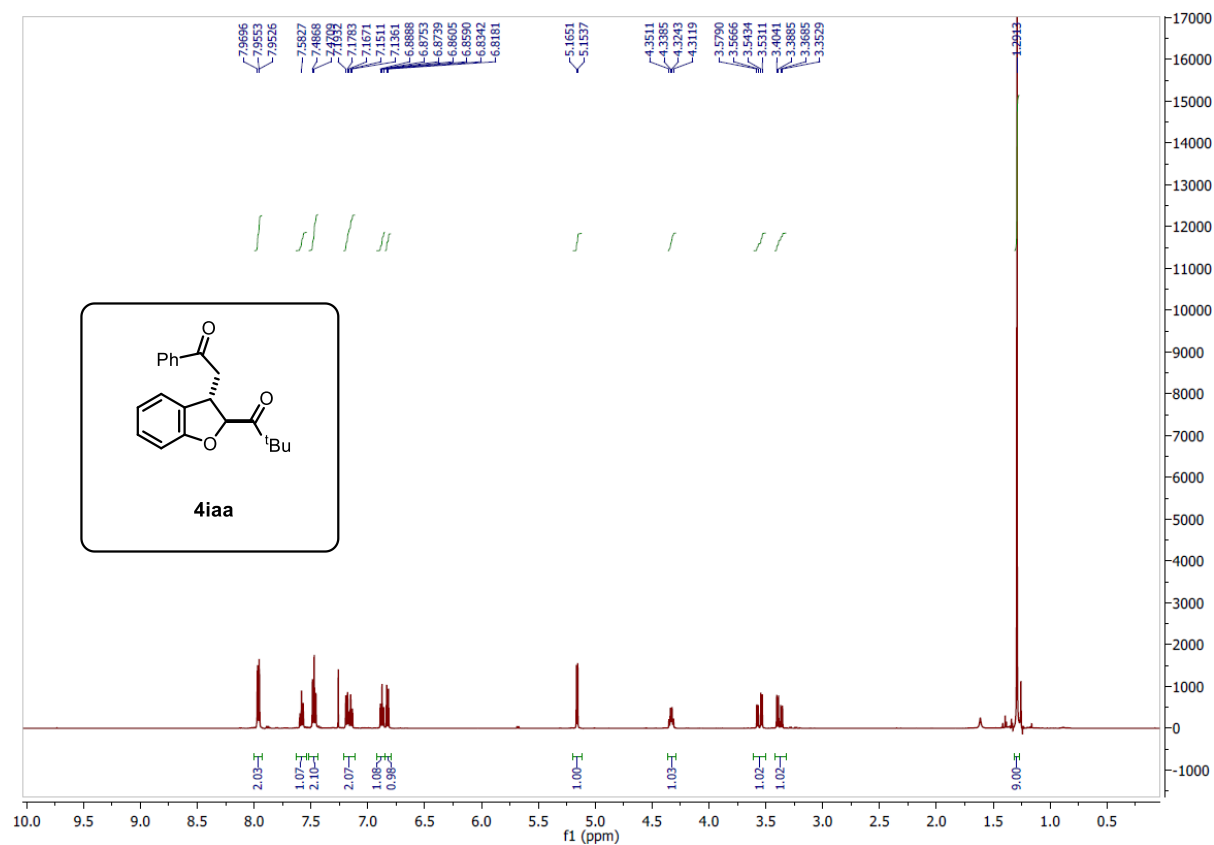
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of 4faa



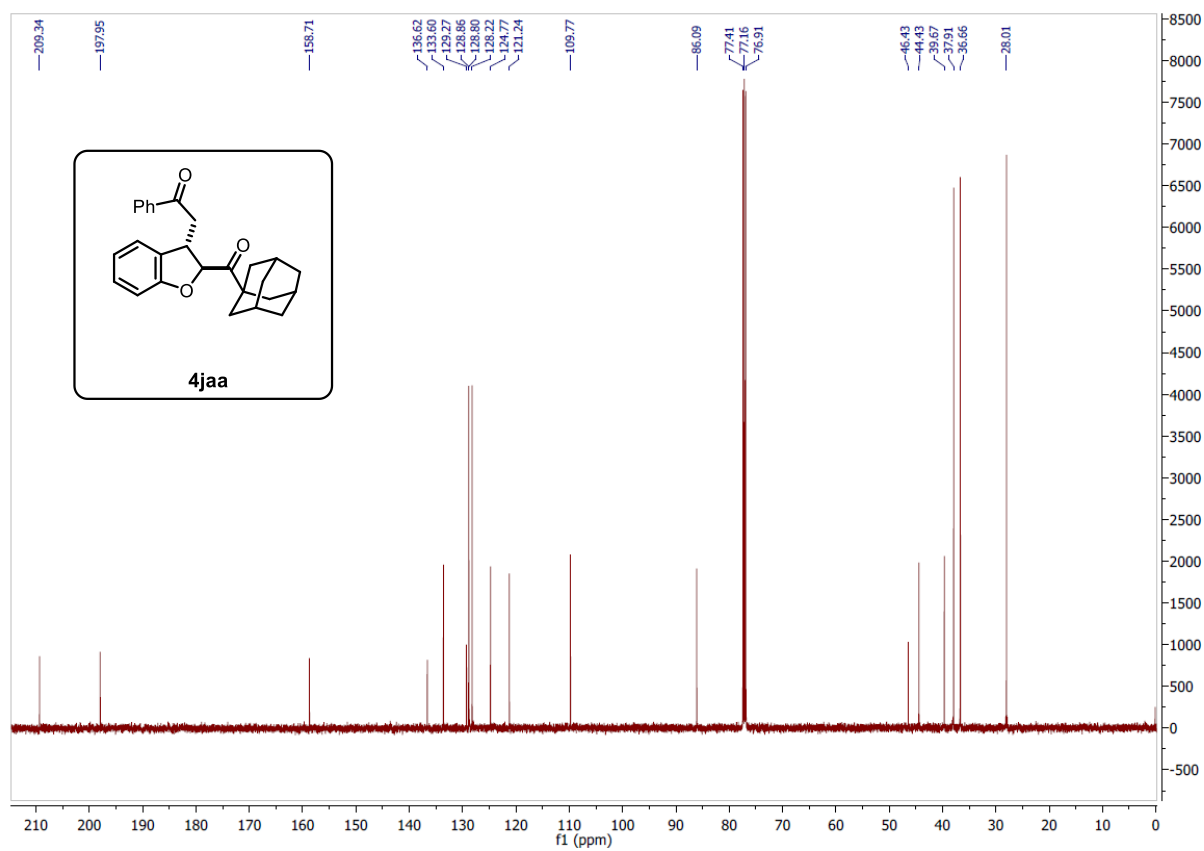
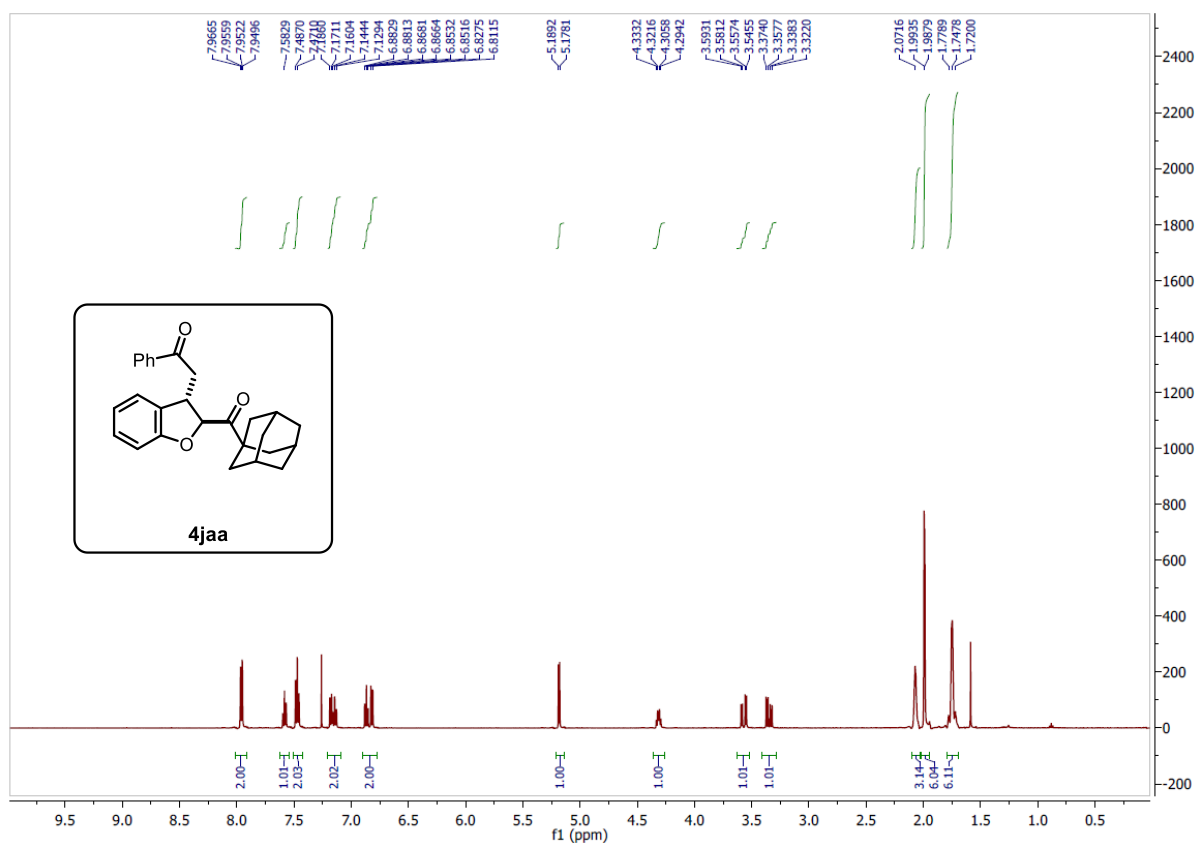
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4gaa**



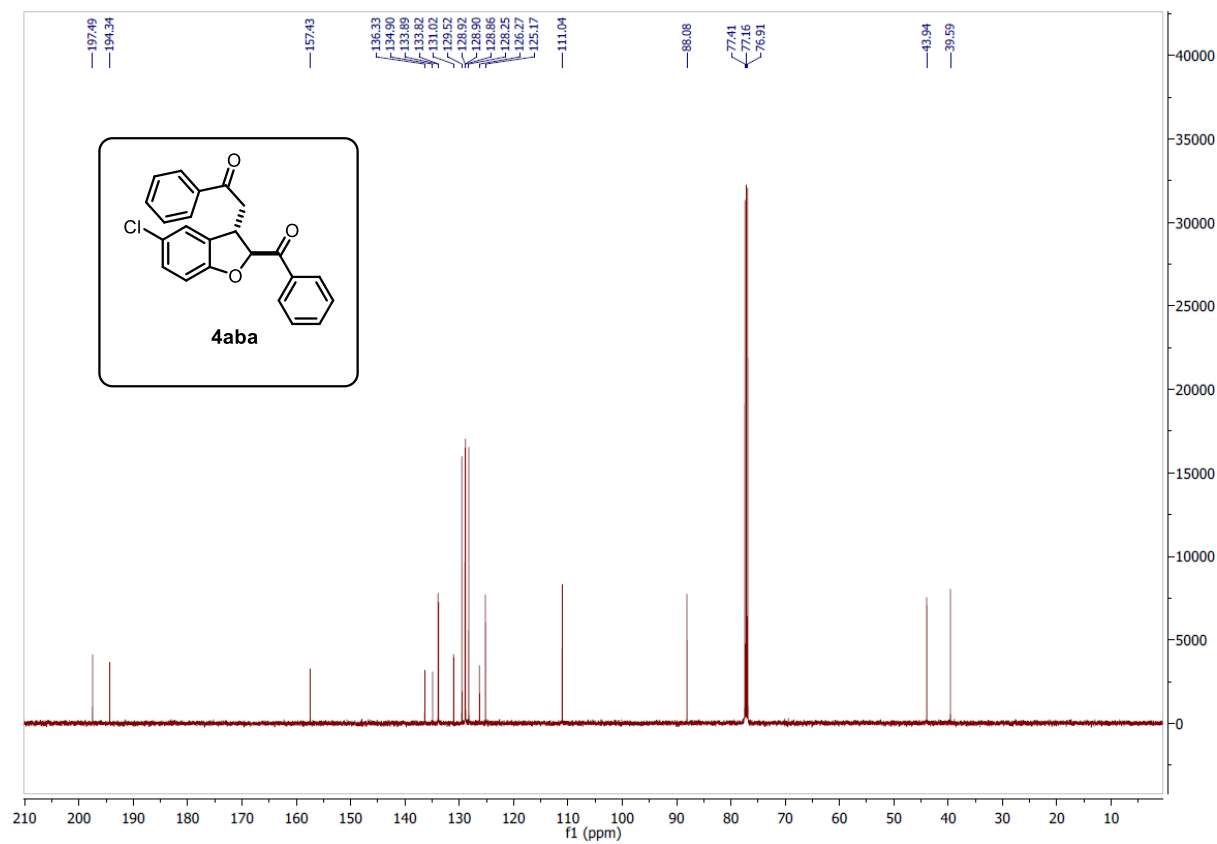
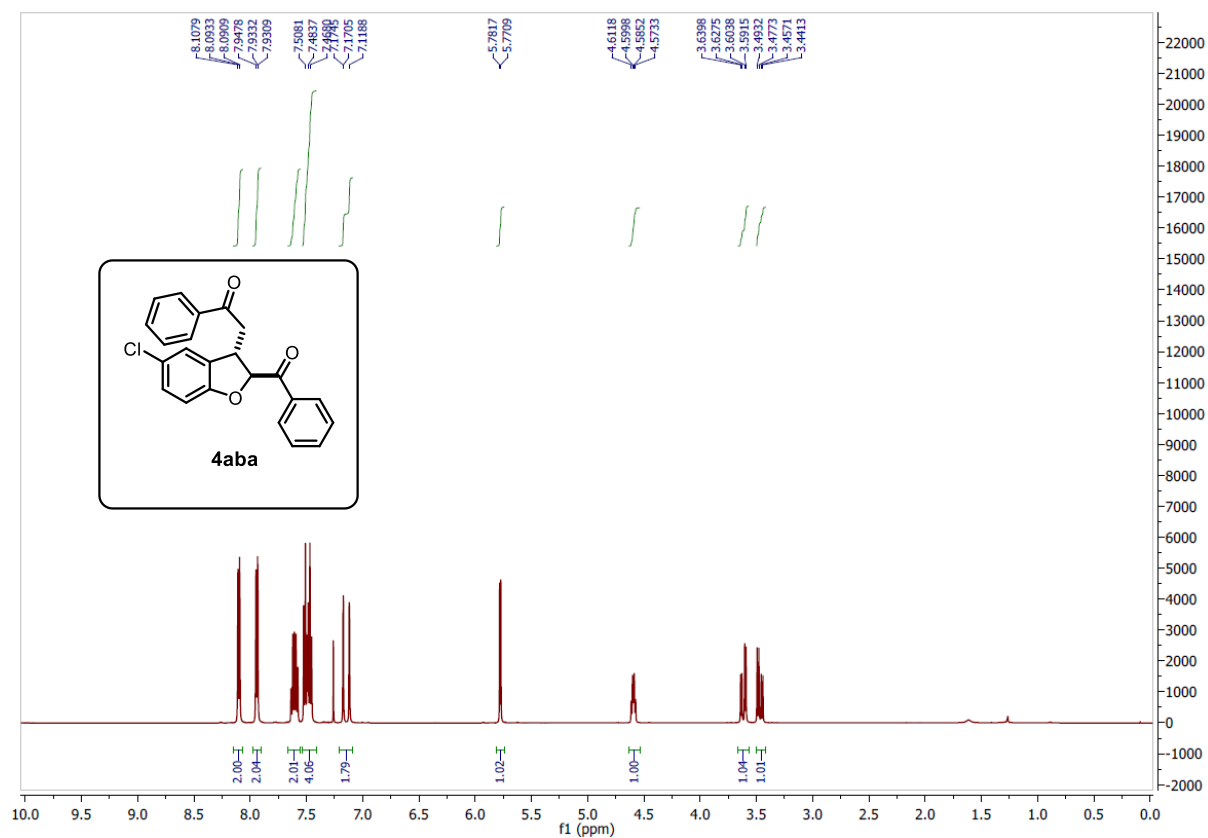
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4haa**



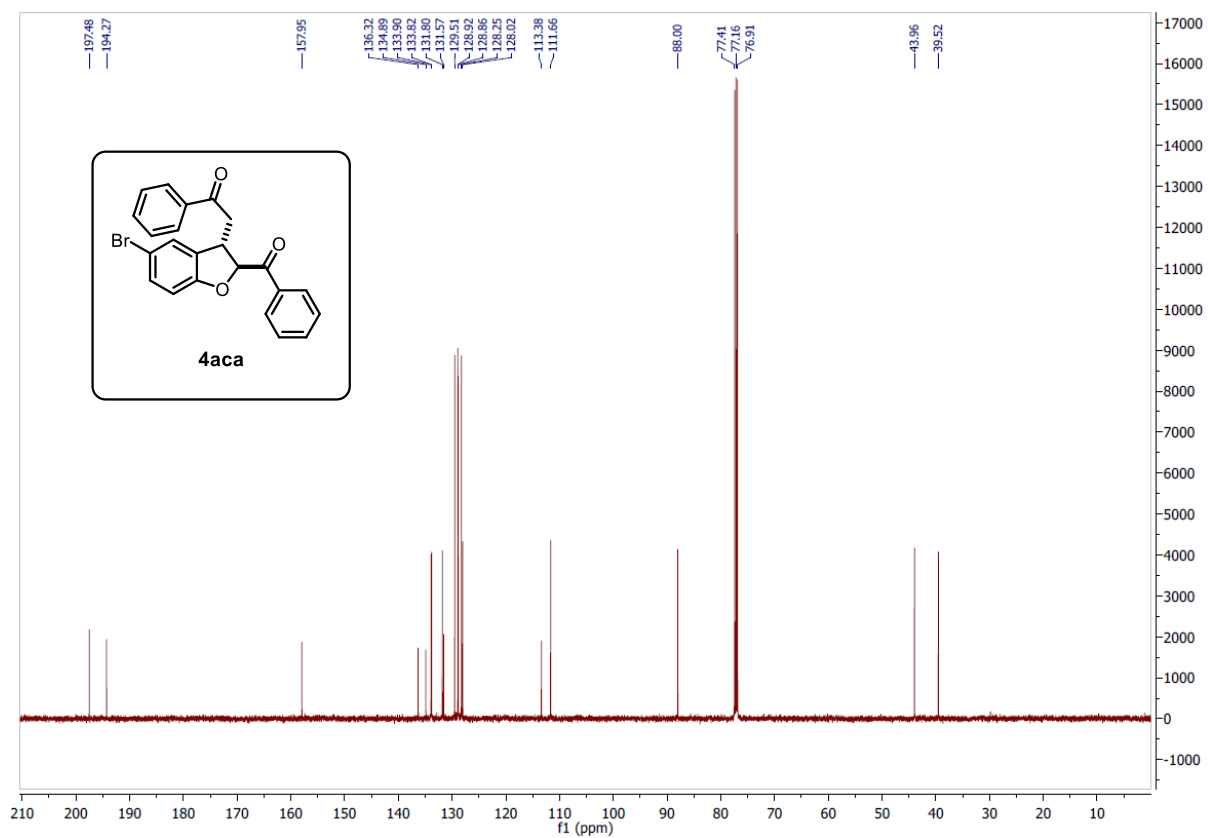
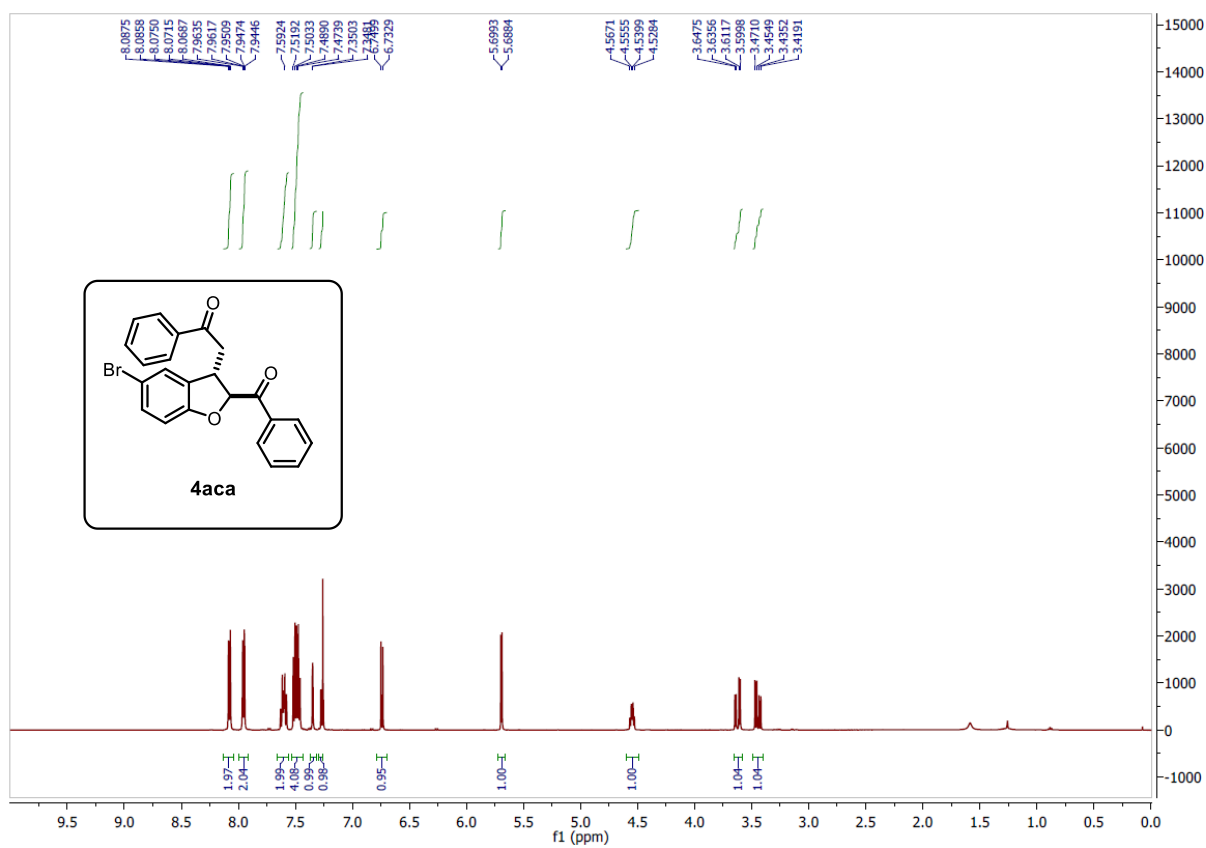
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4iaa**



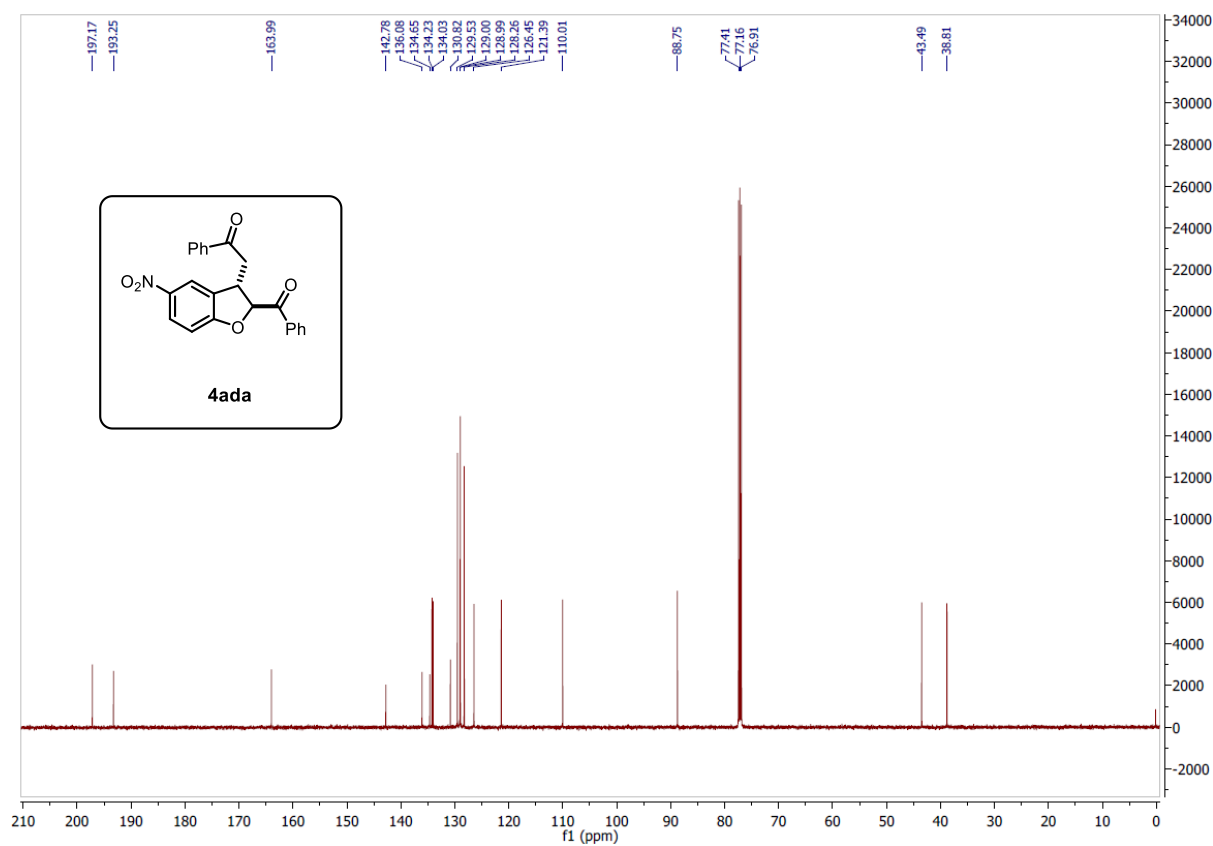
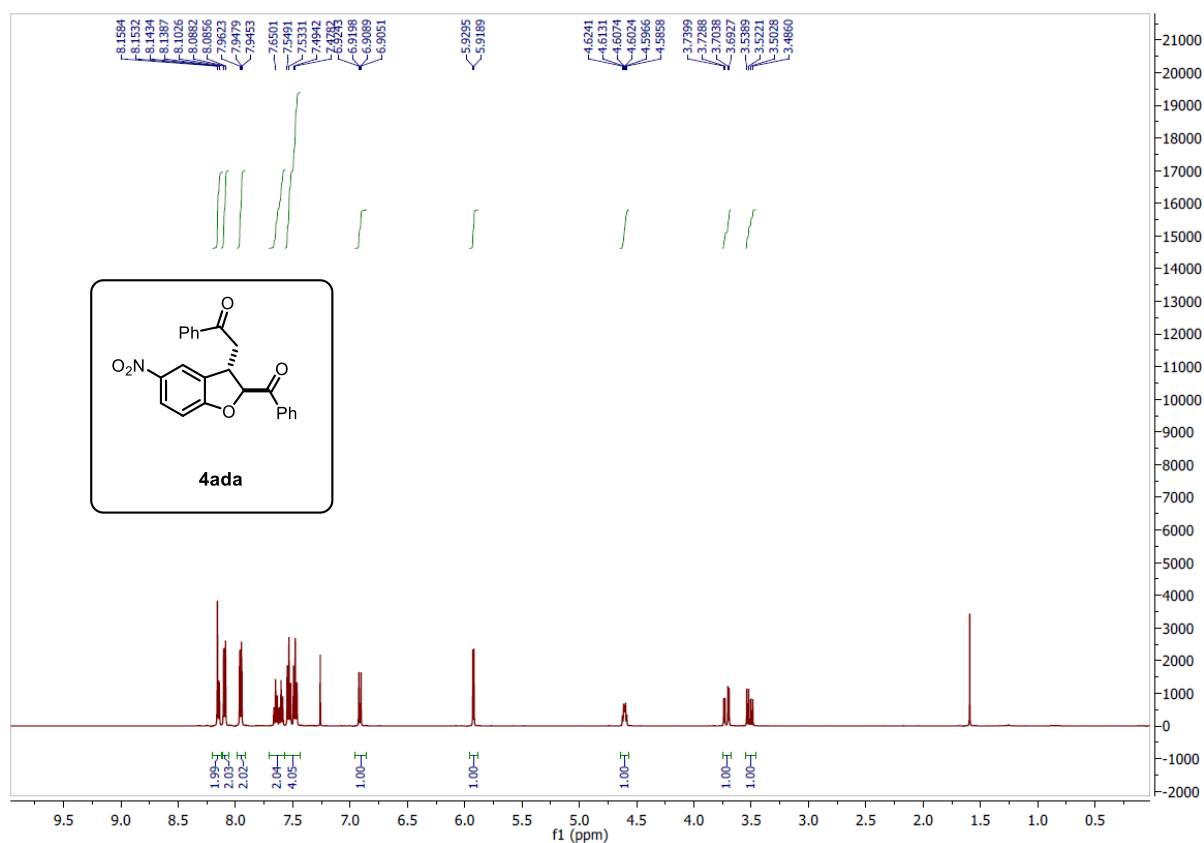
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4jaa**



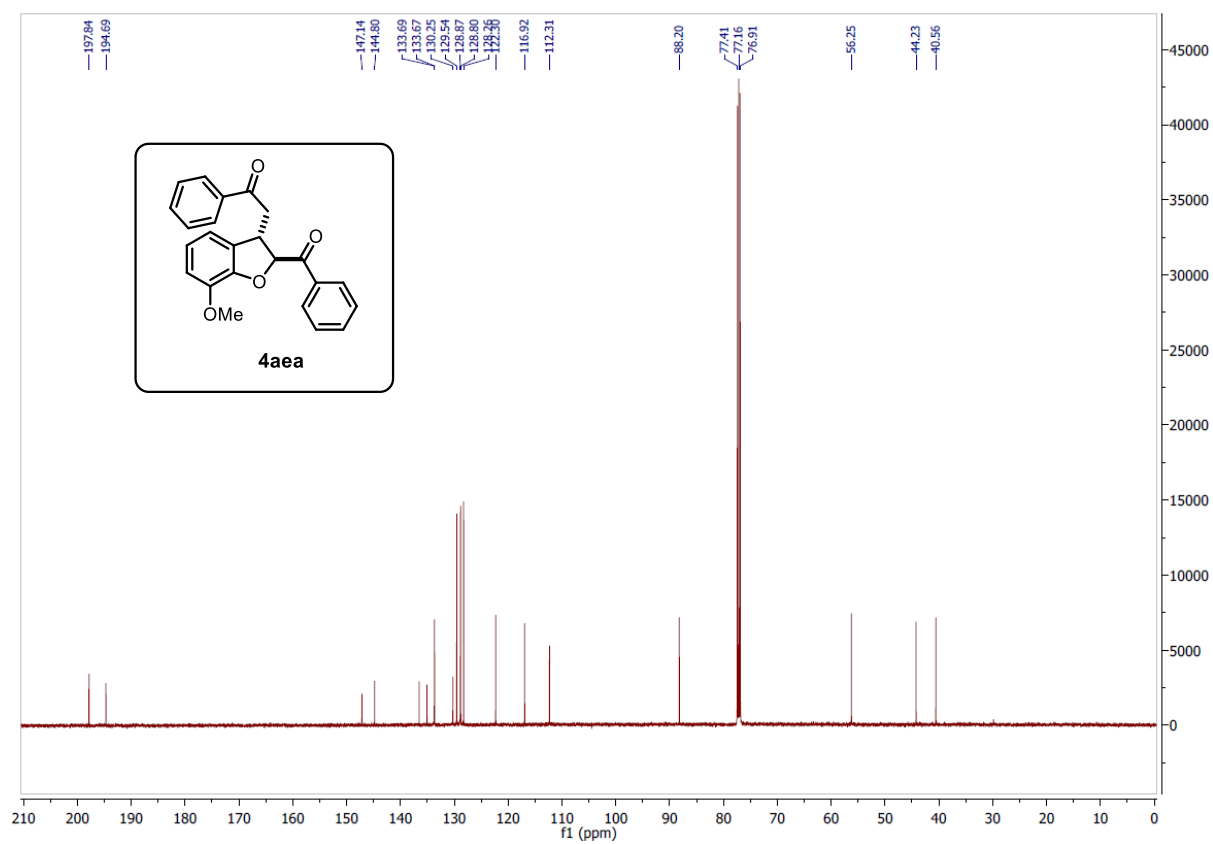
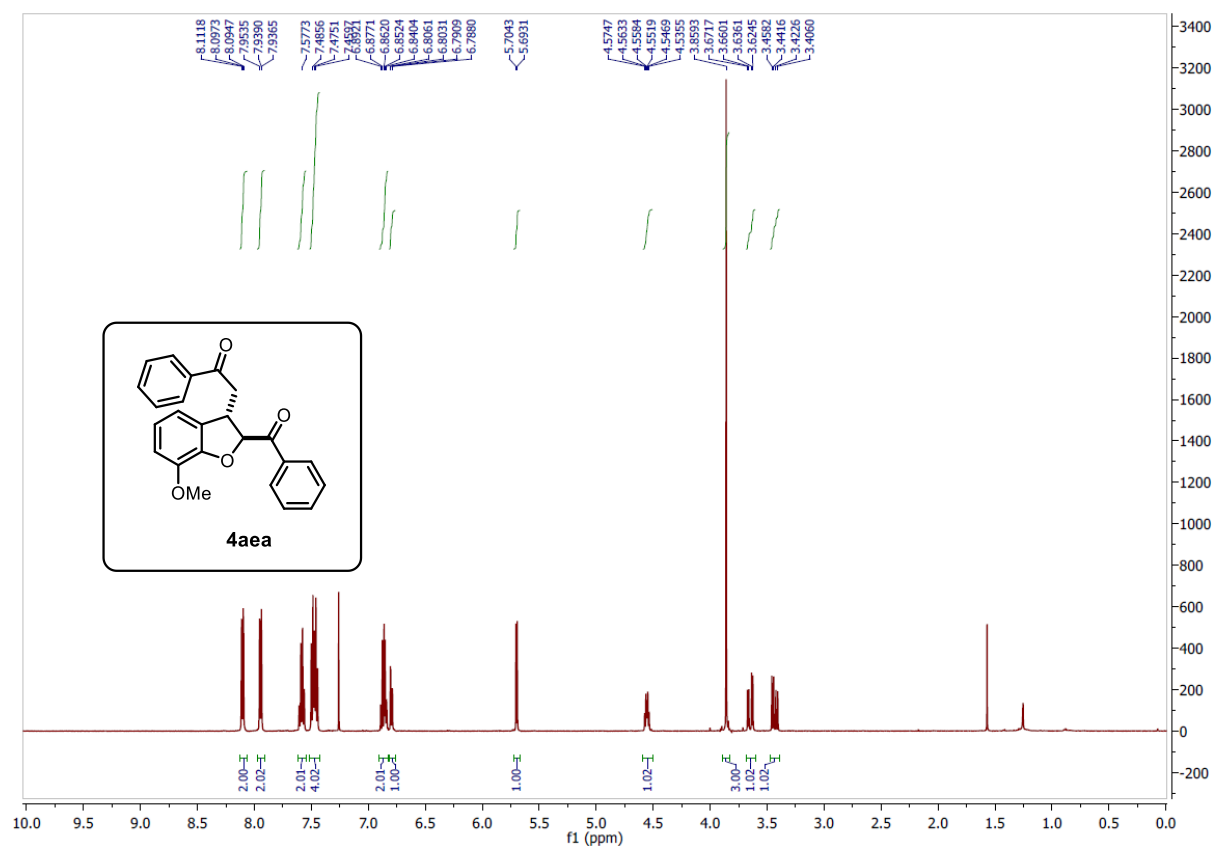
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aba**



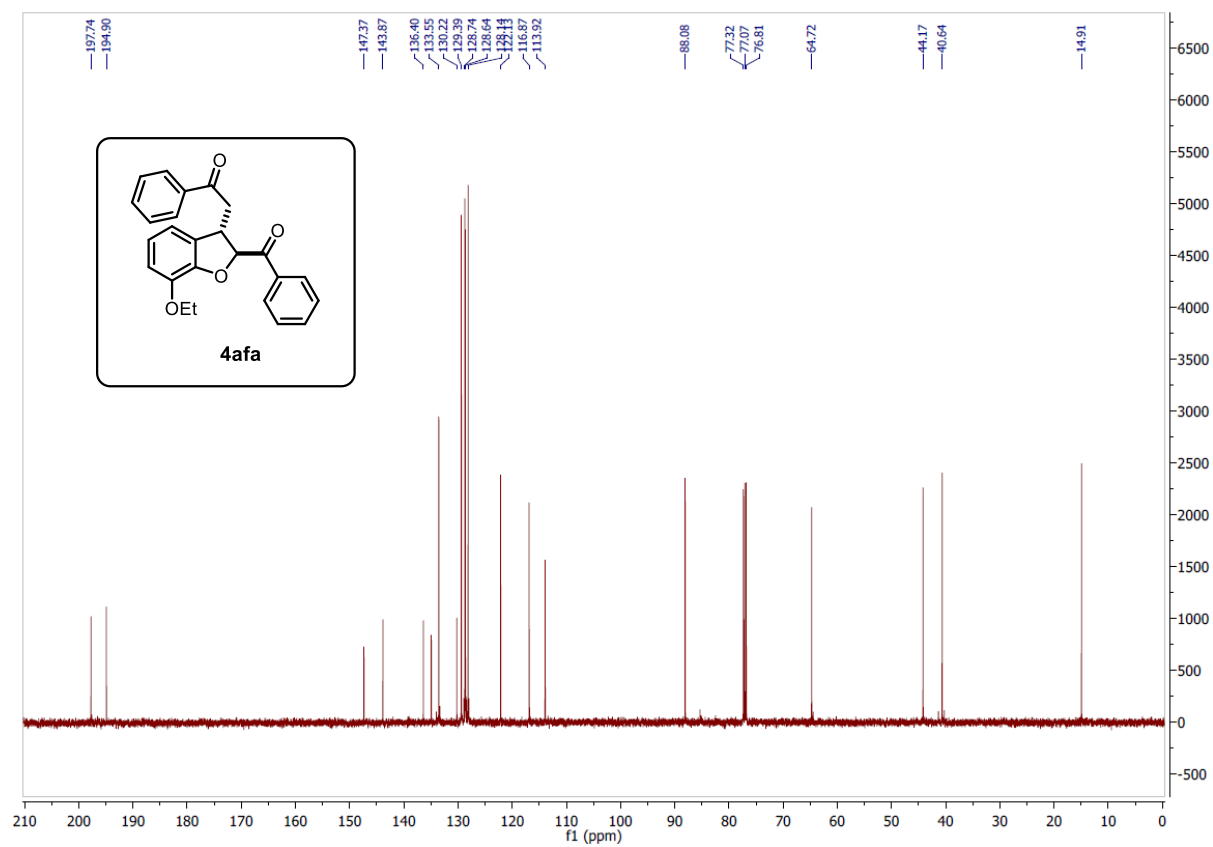
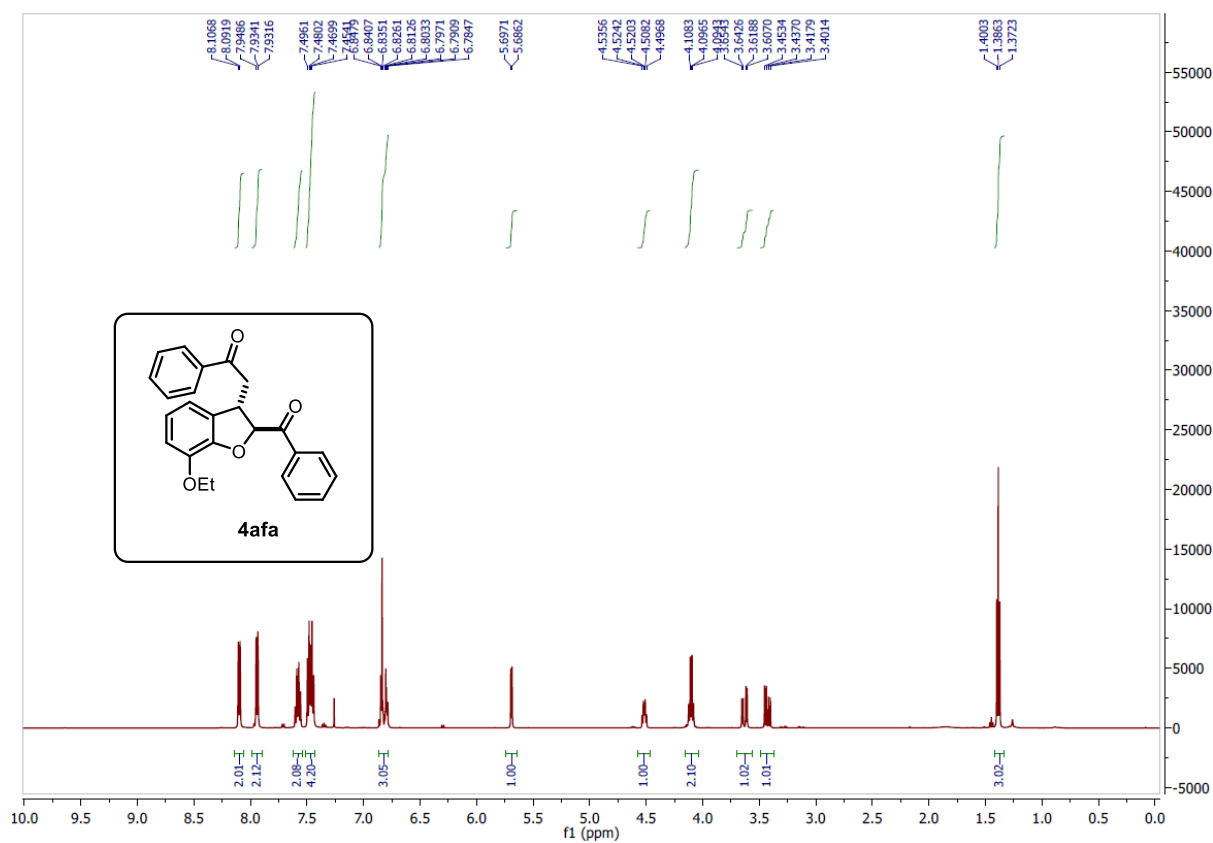
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aca**



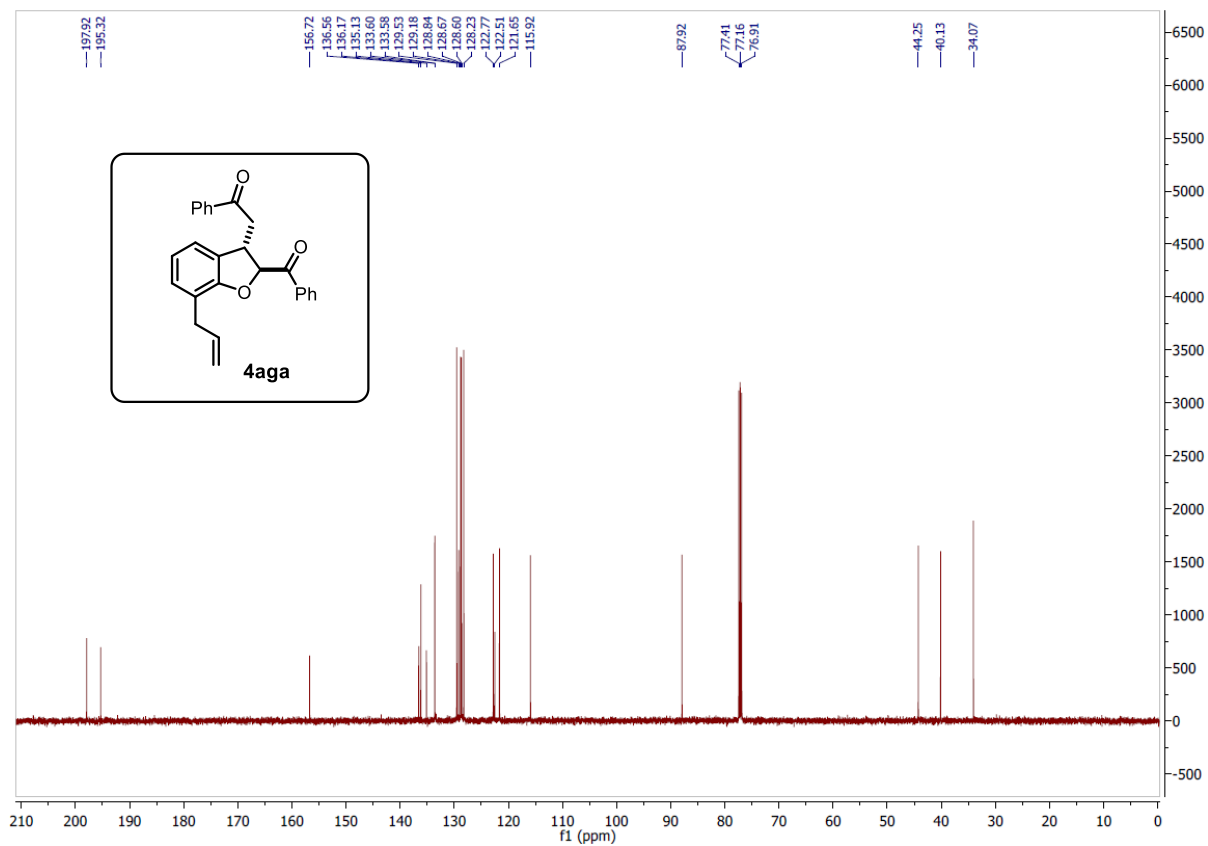
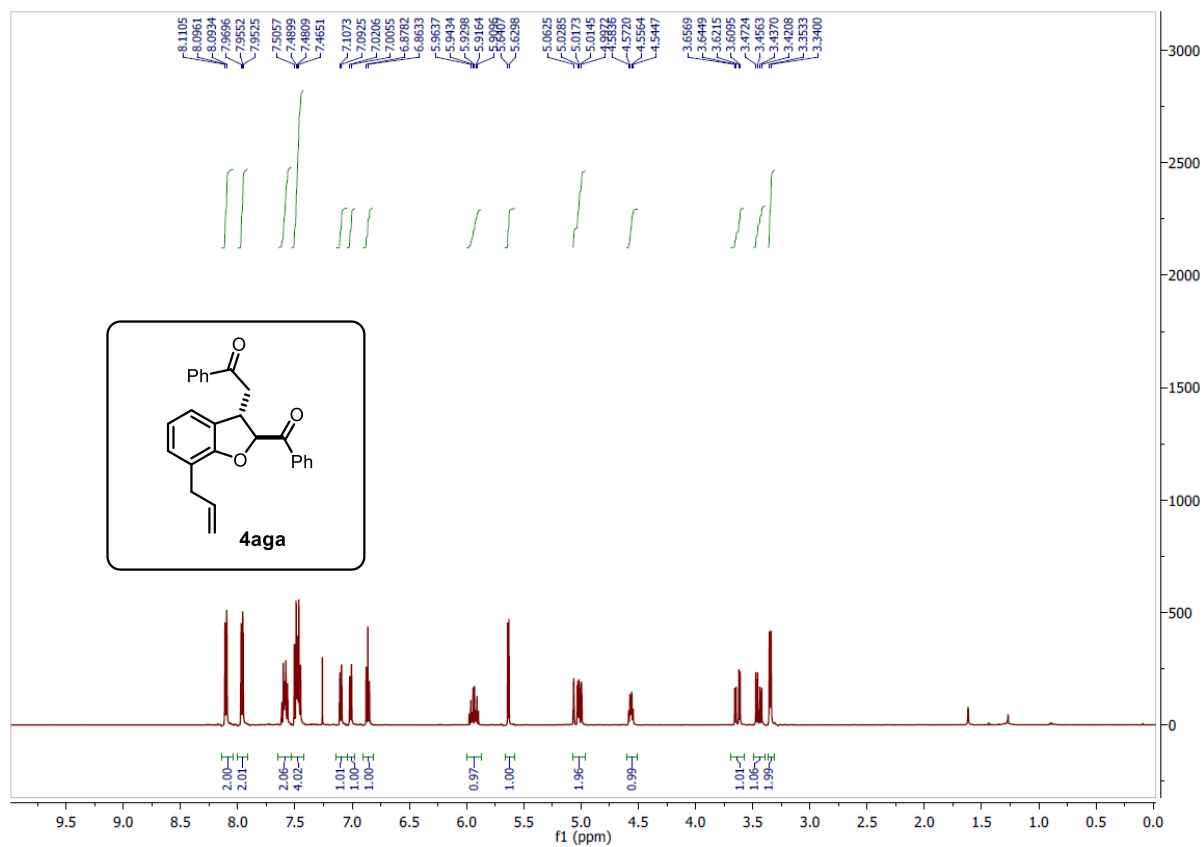
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4ada**



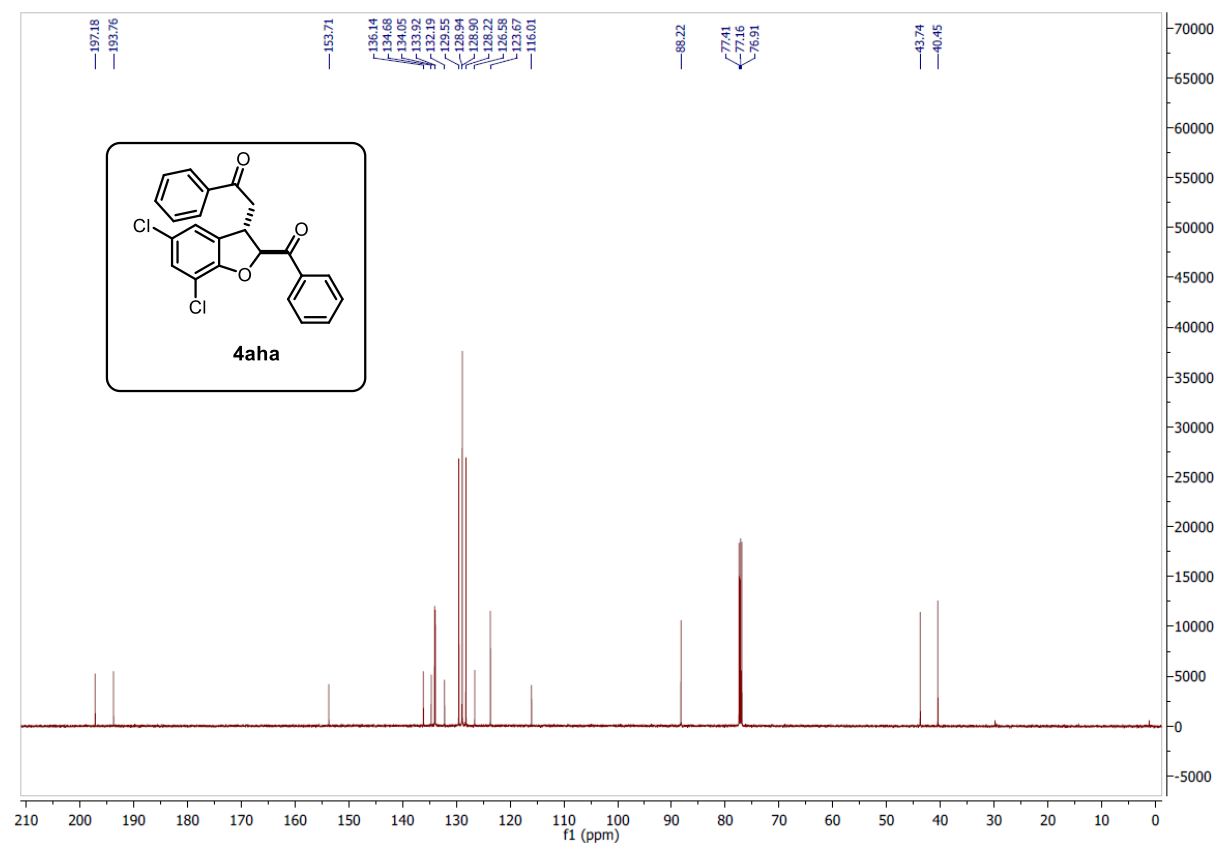
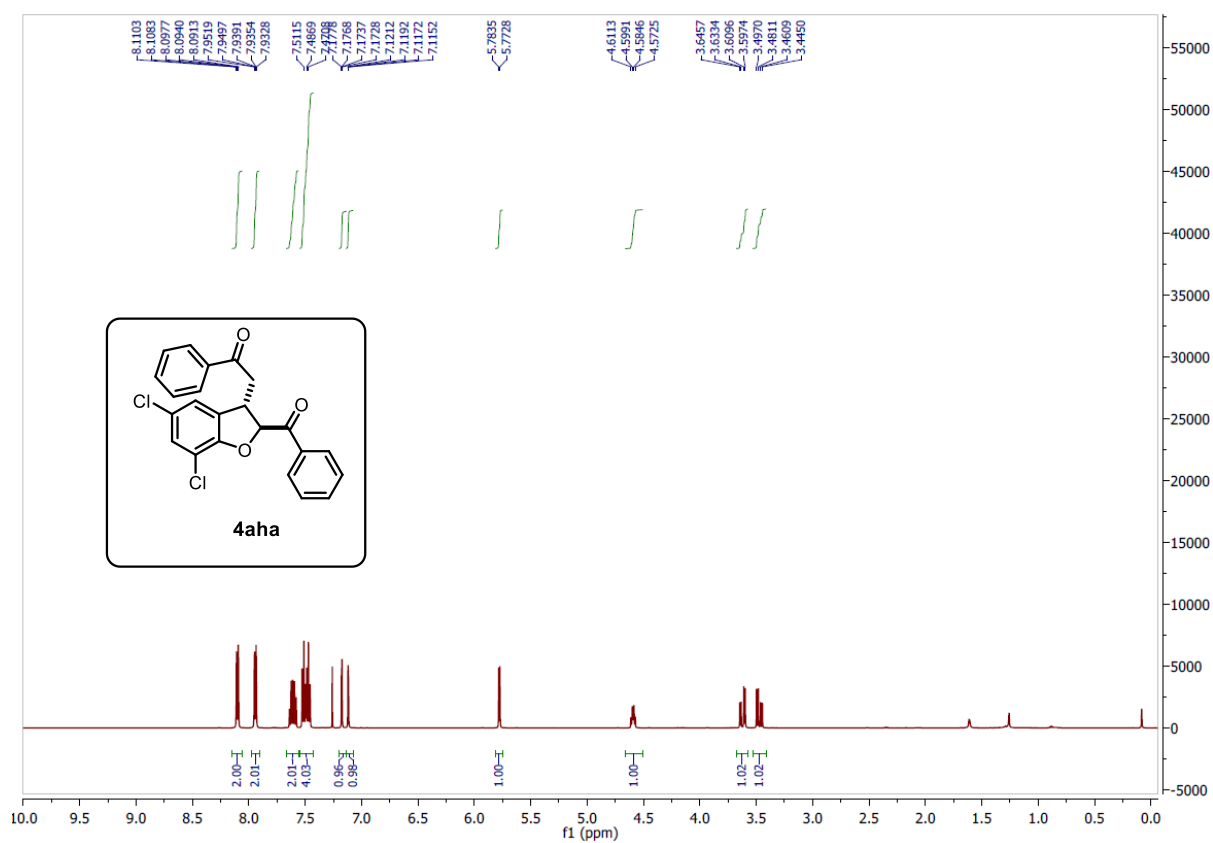
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aea**



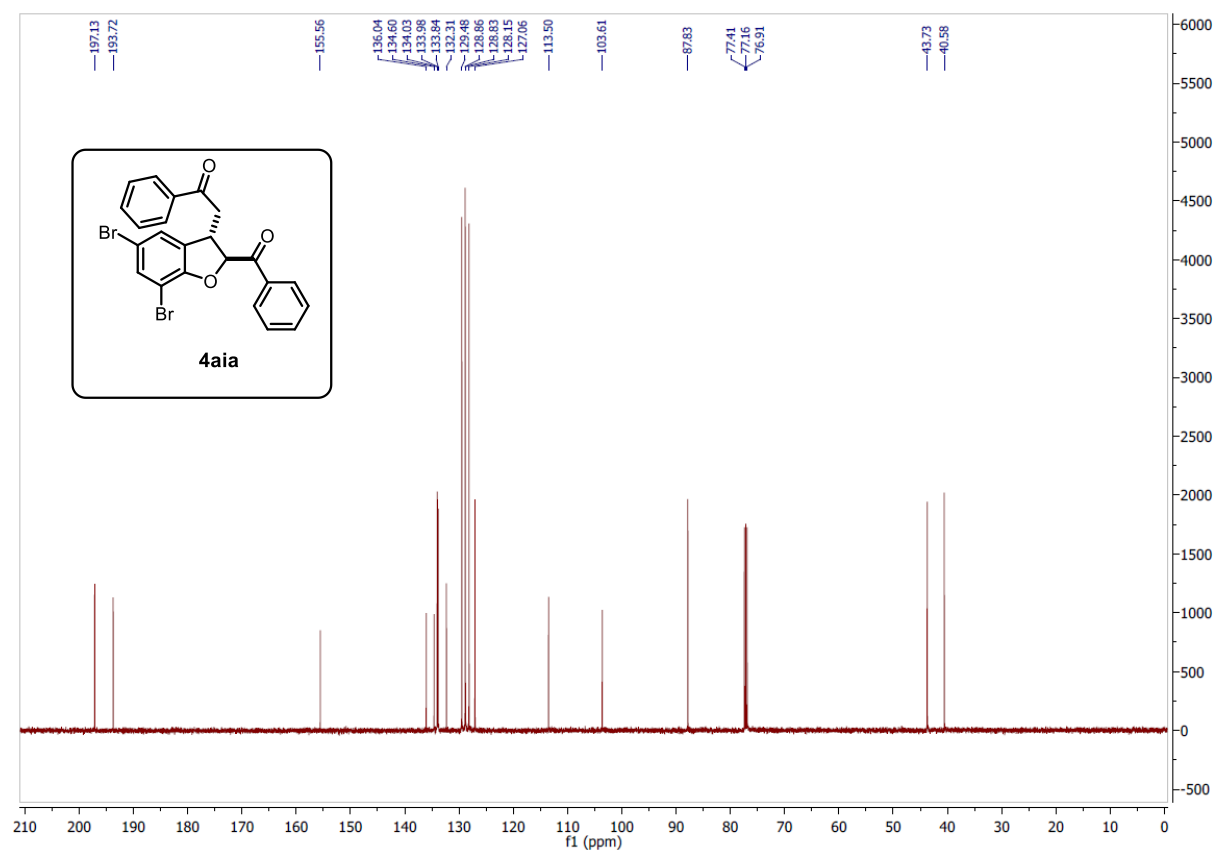
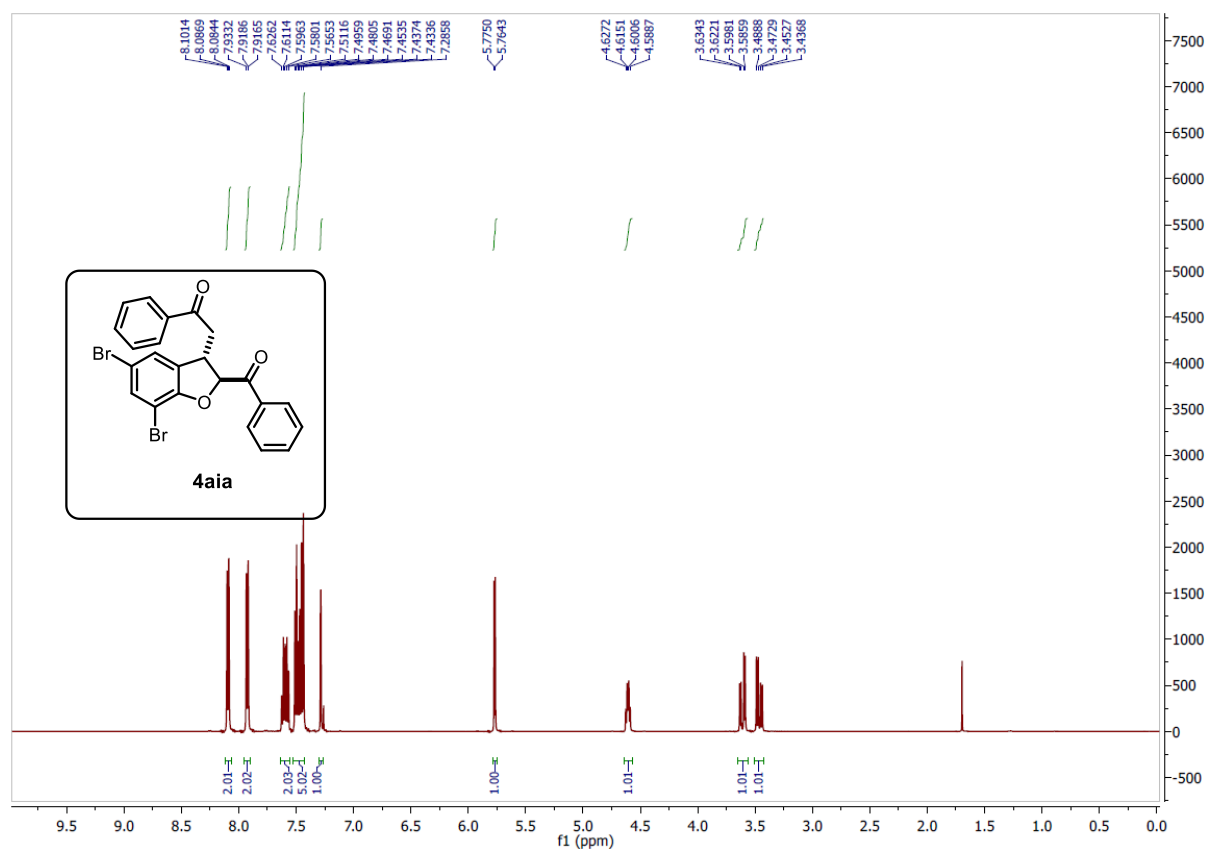
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4afa**



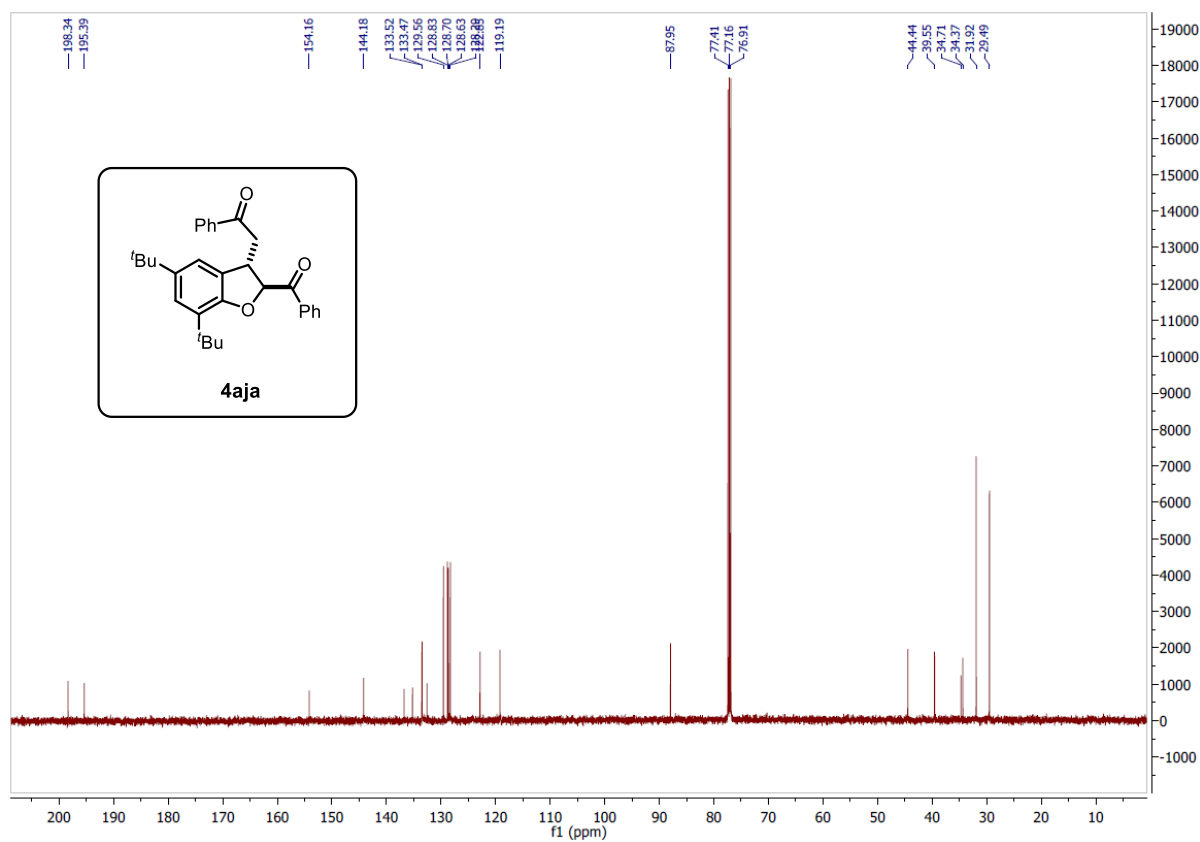
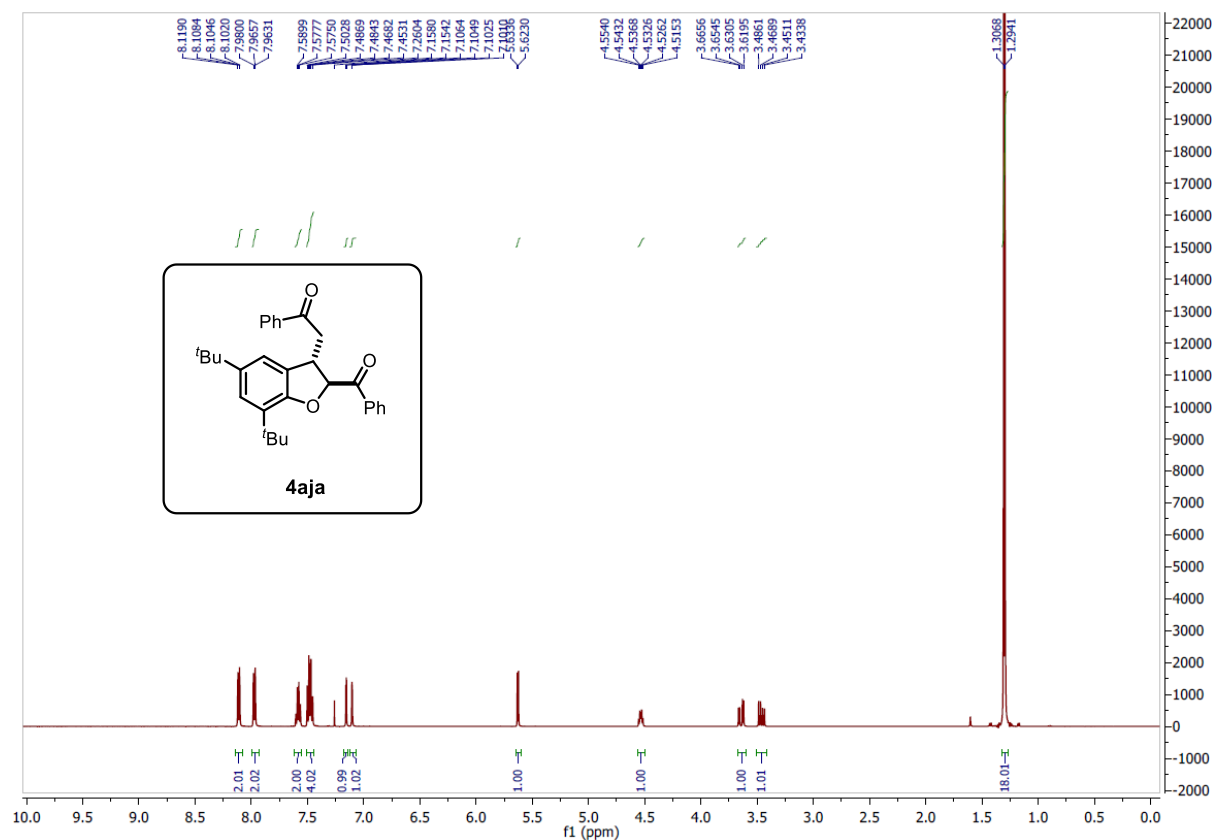
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aga**



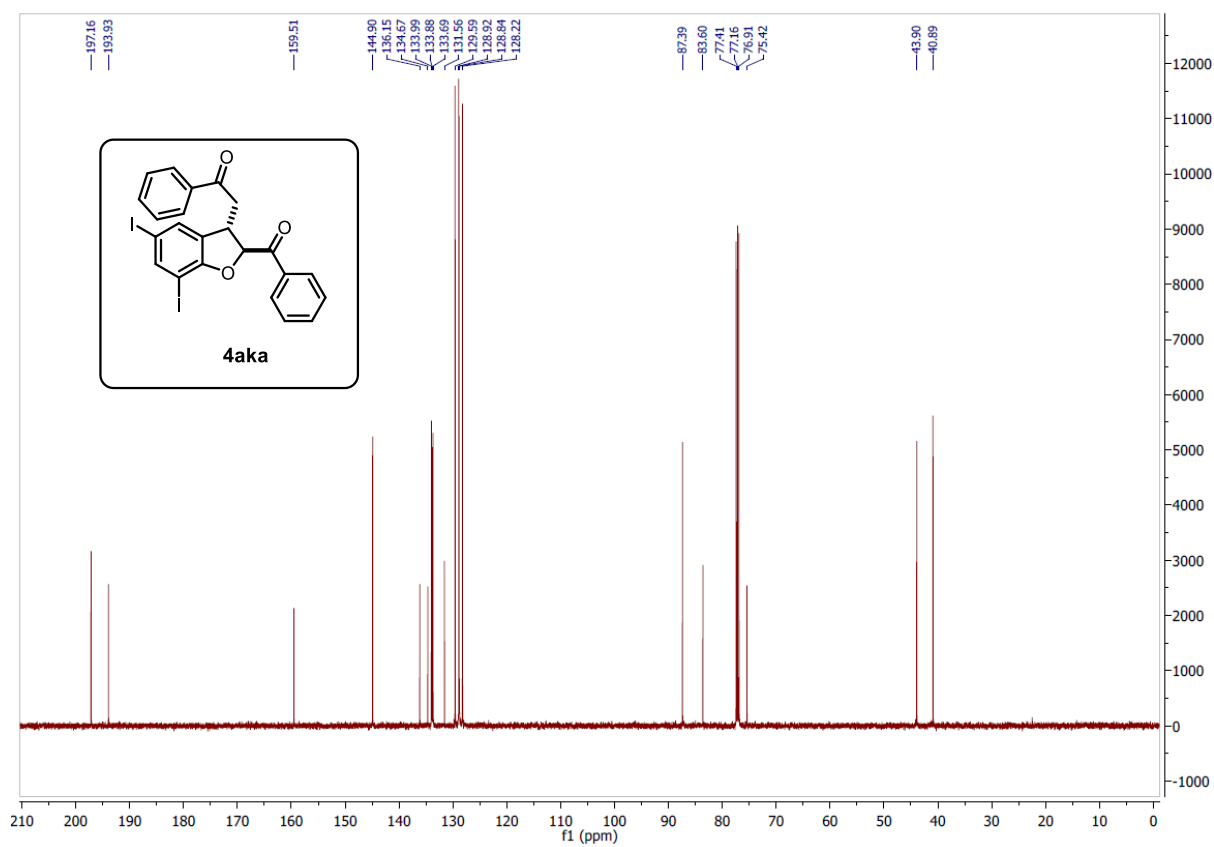
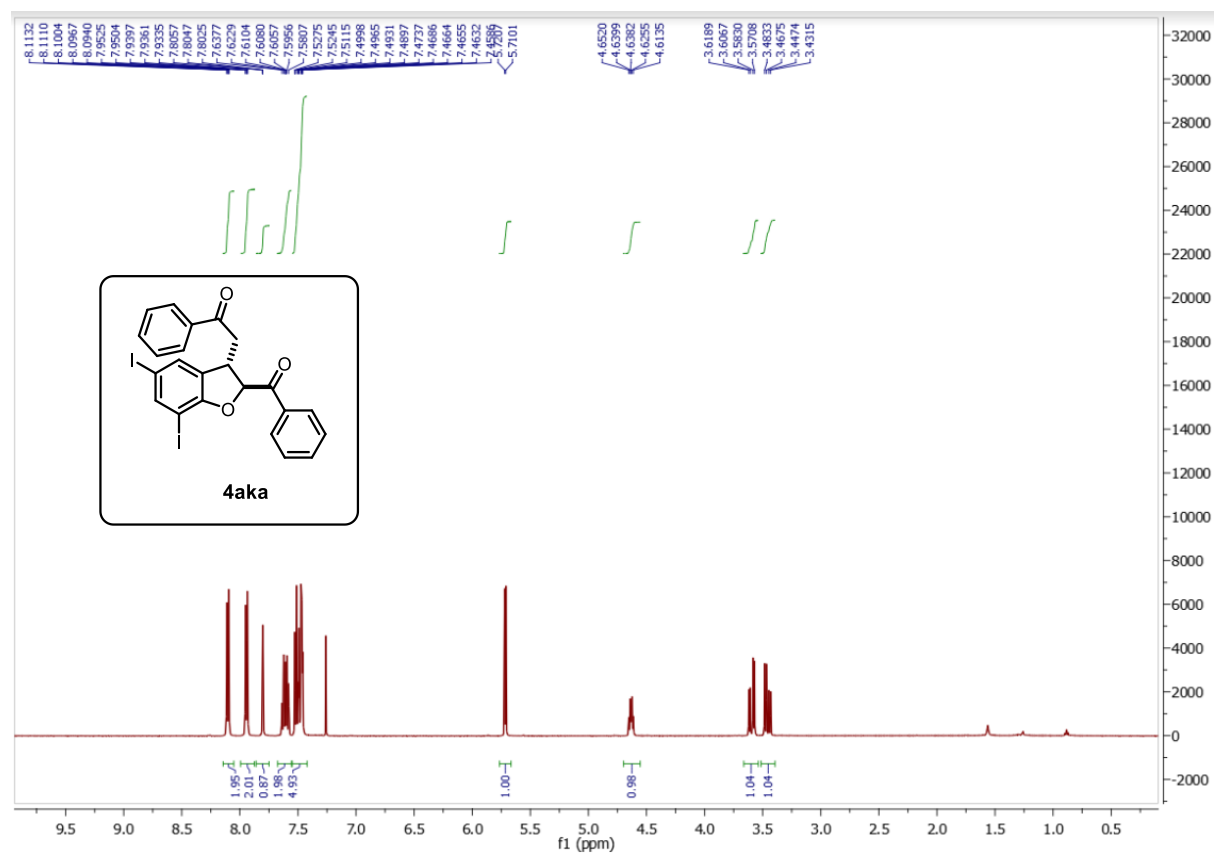
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aha**



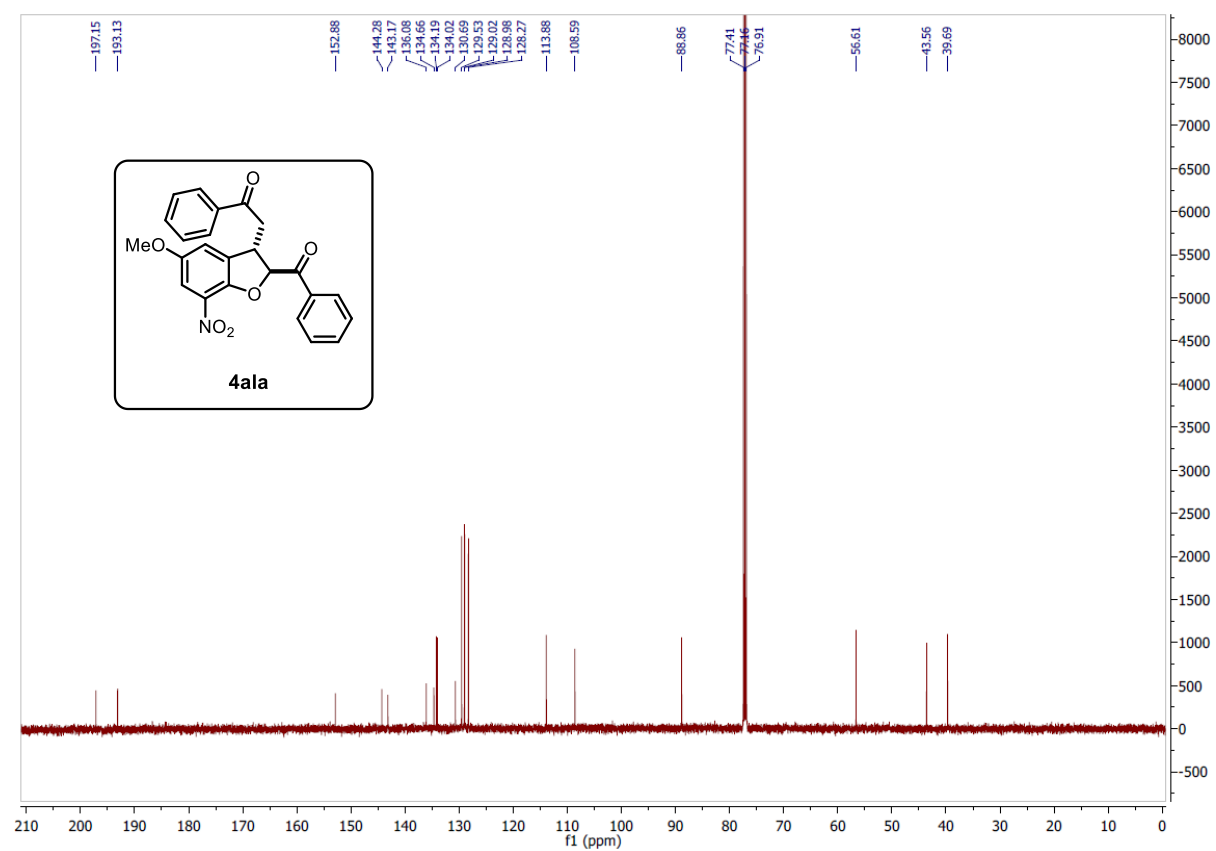
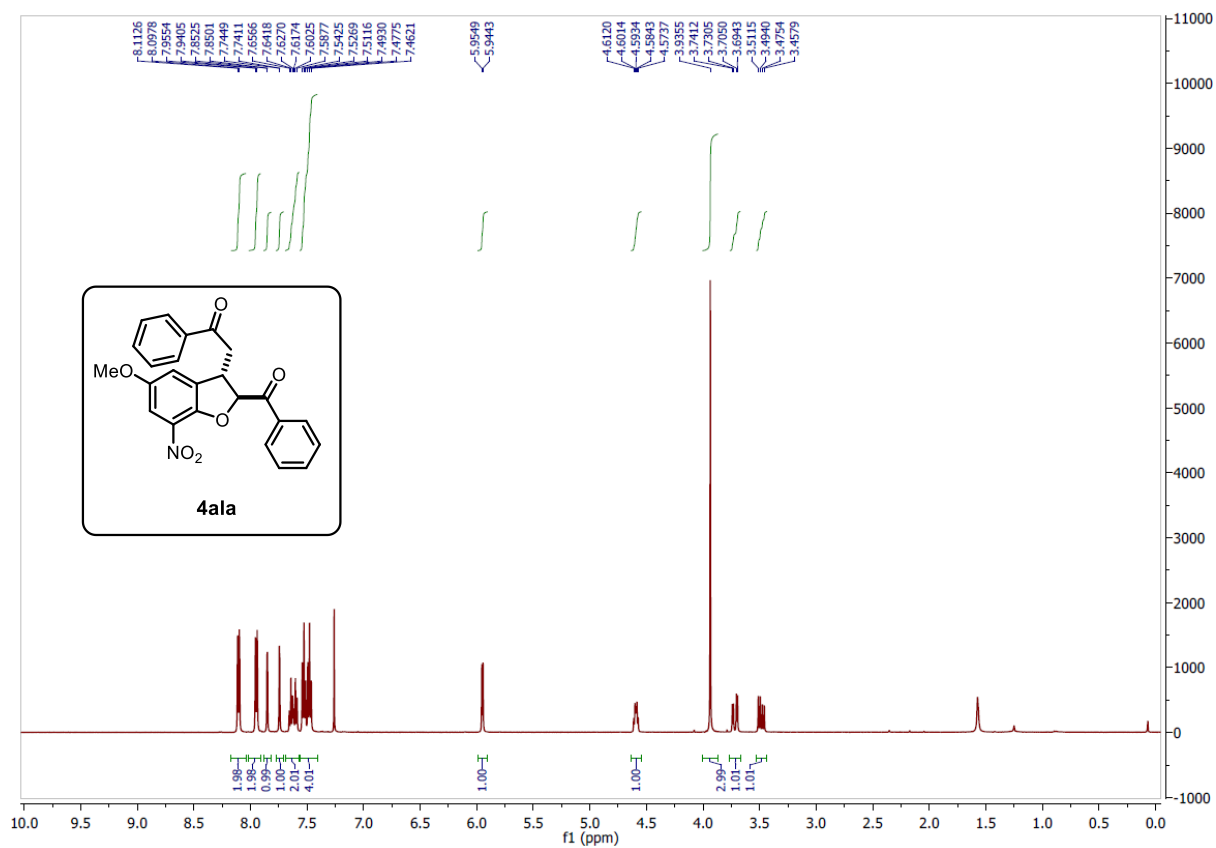
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aia**



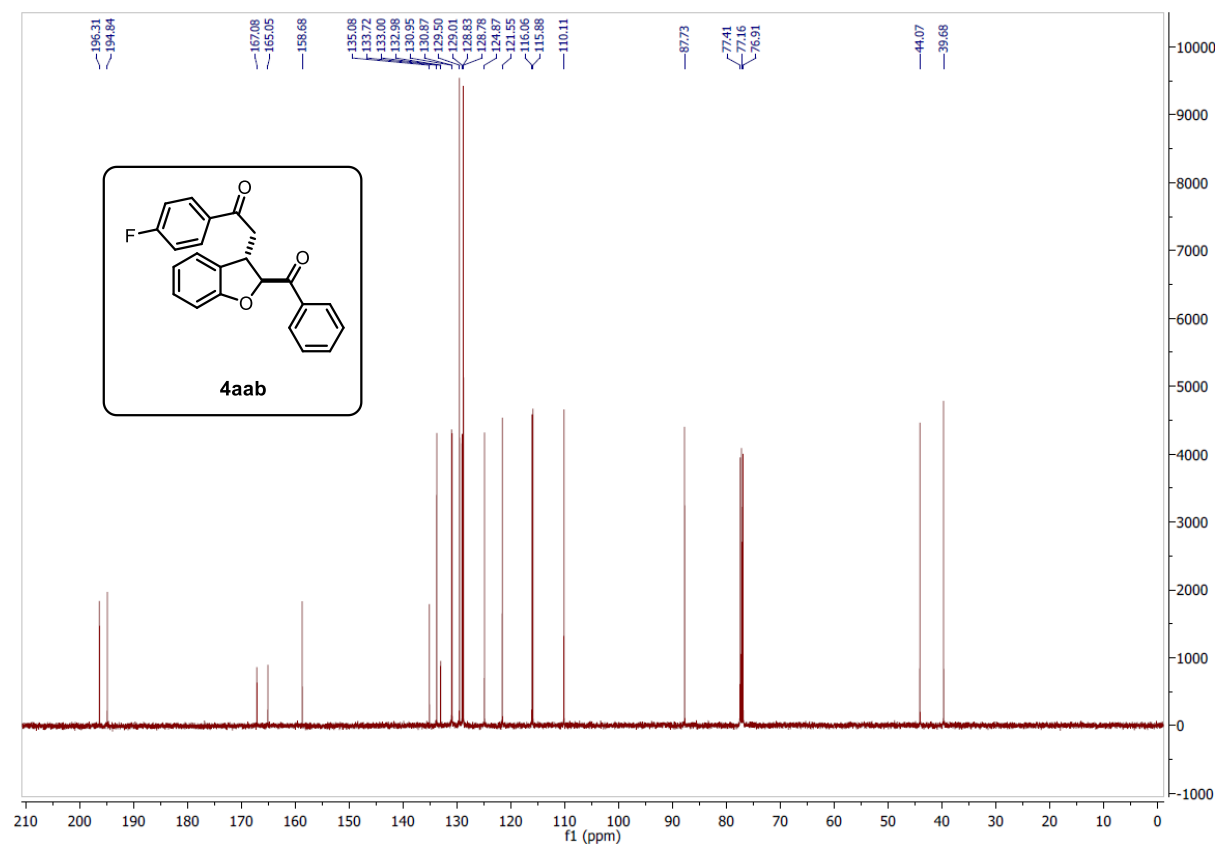
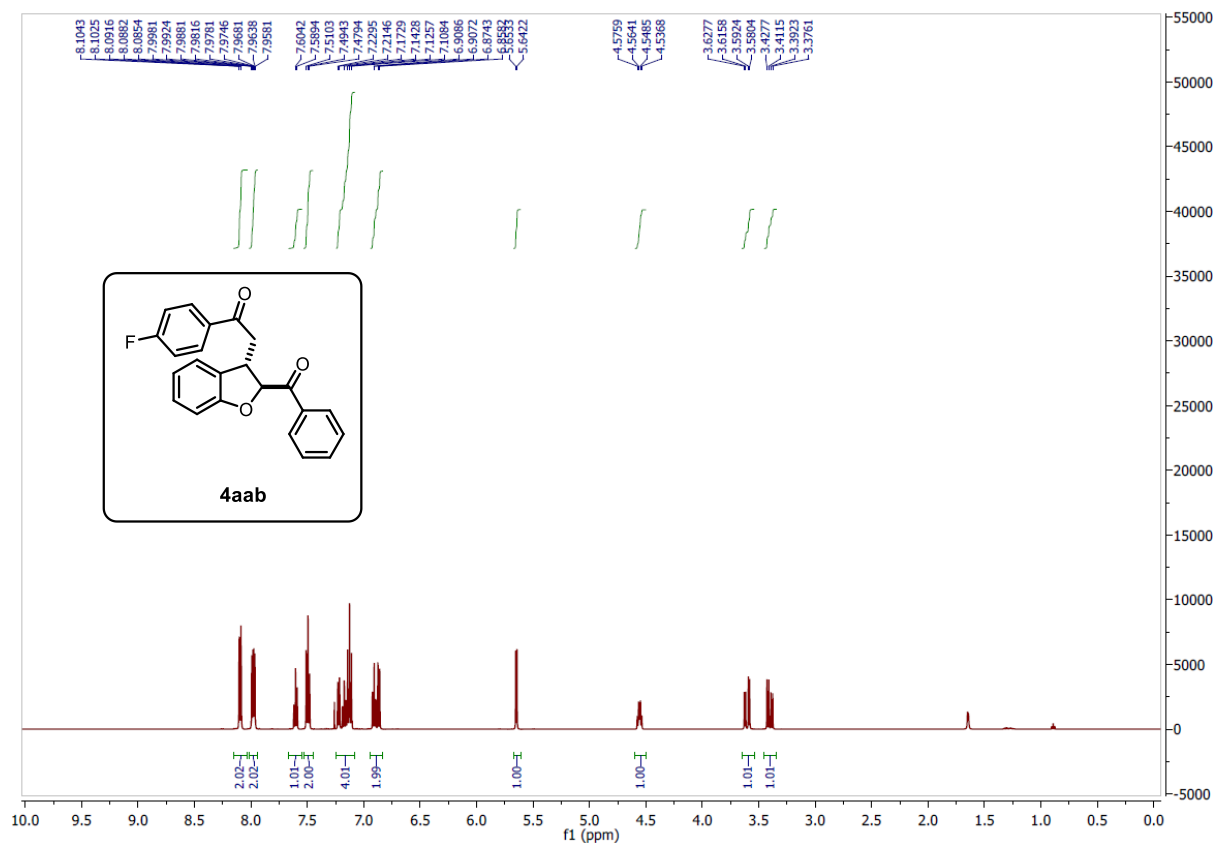
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aja**



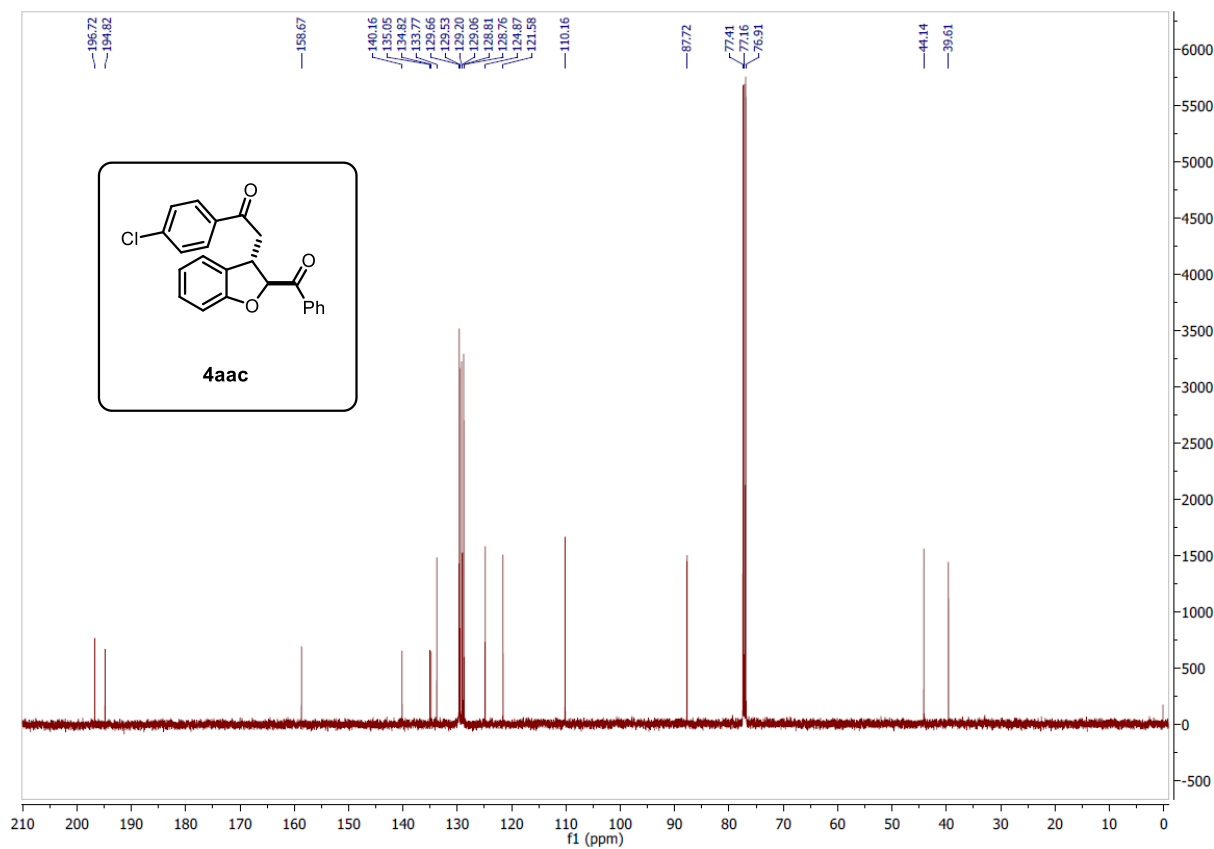
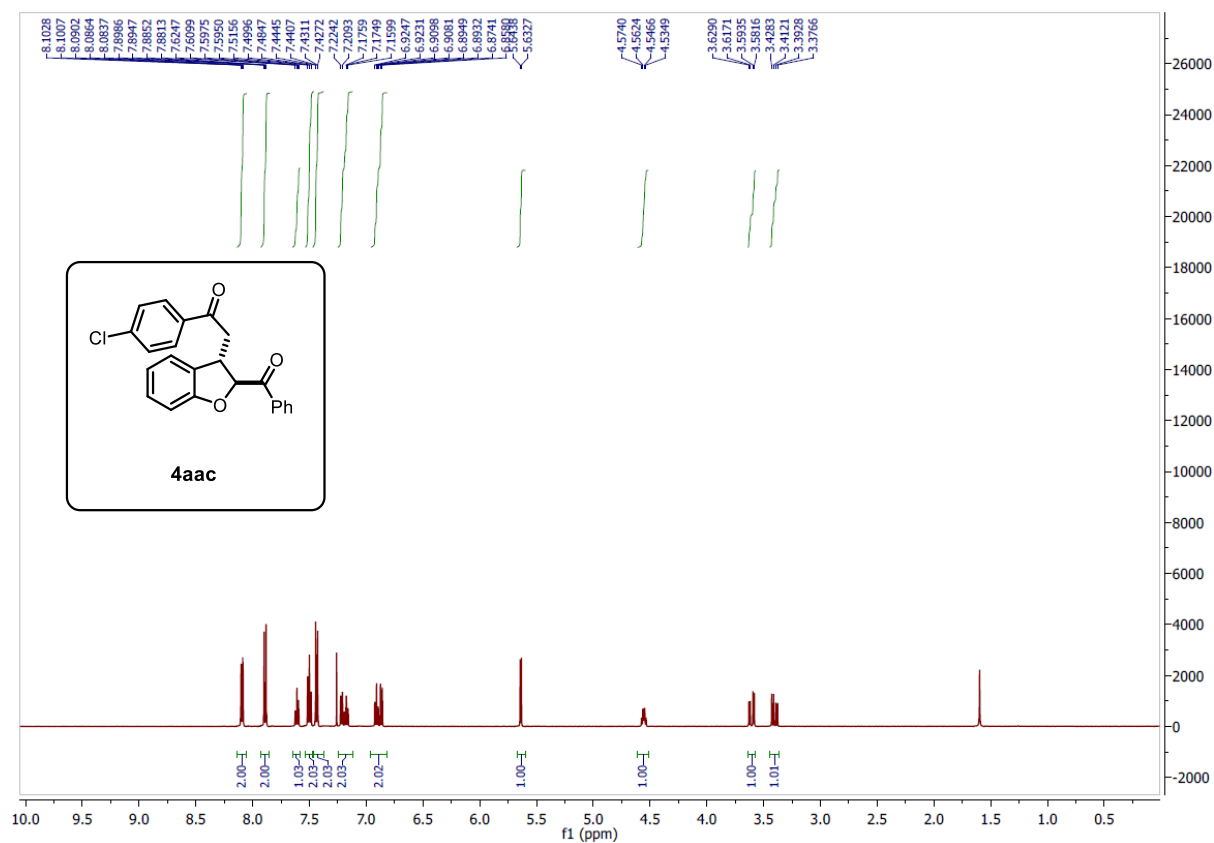
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aka**



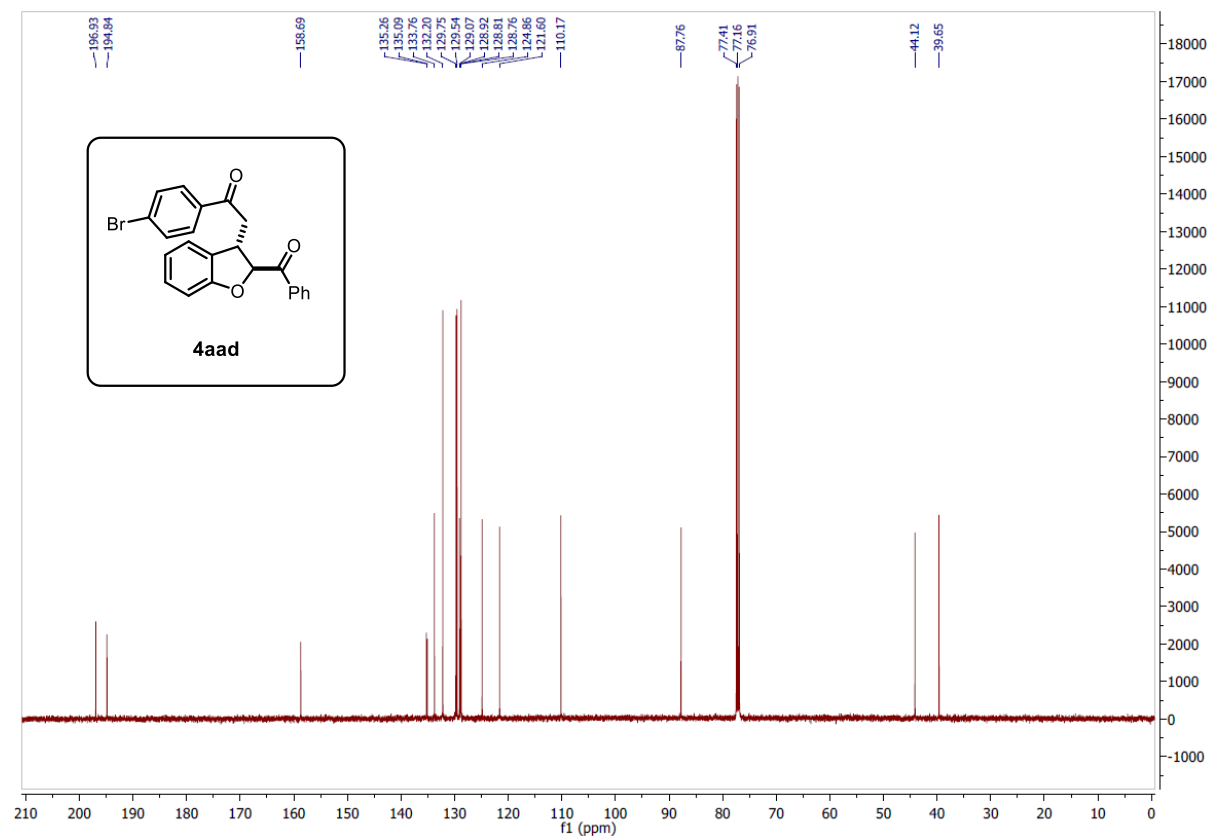
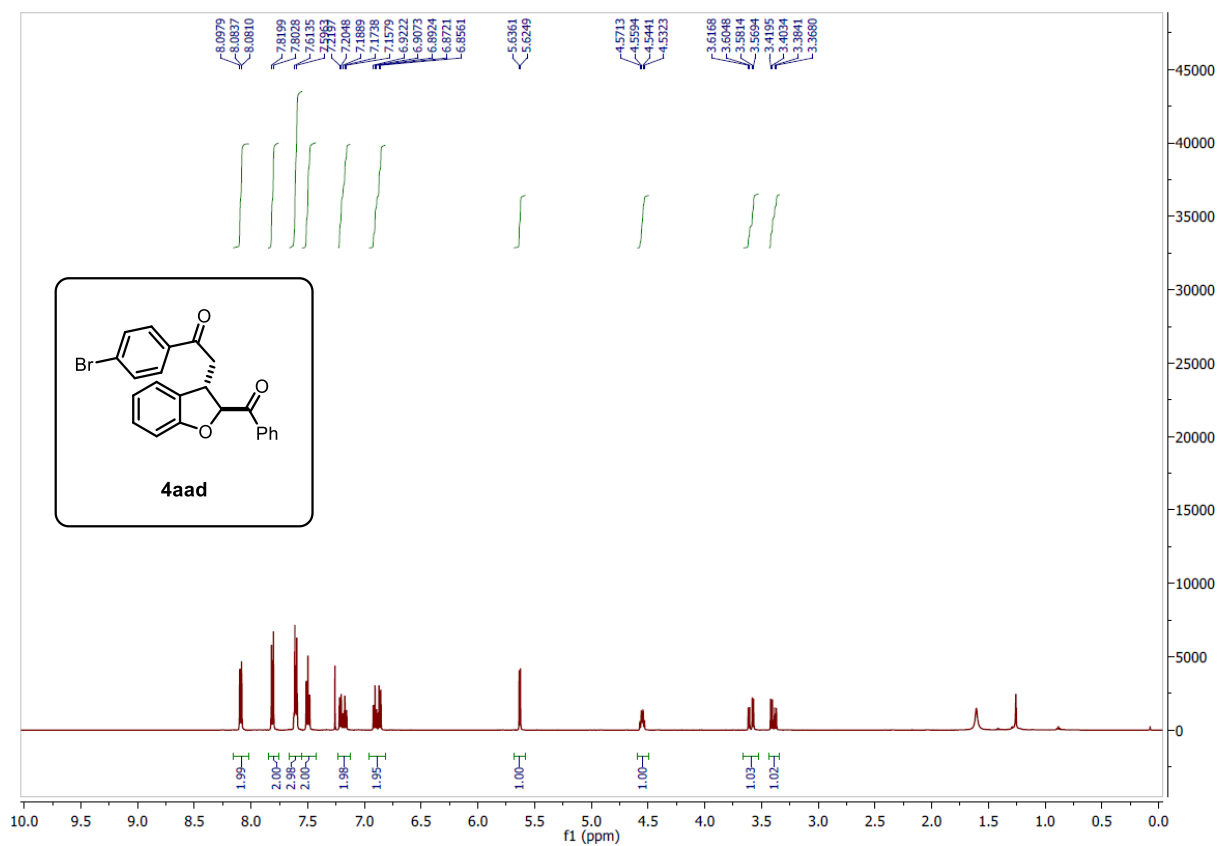
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4ala**



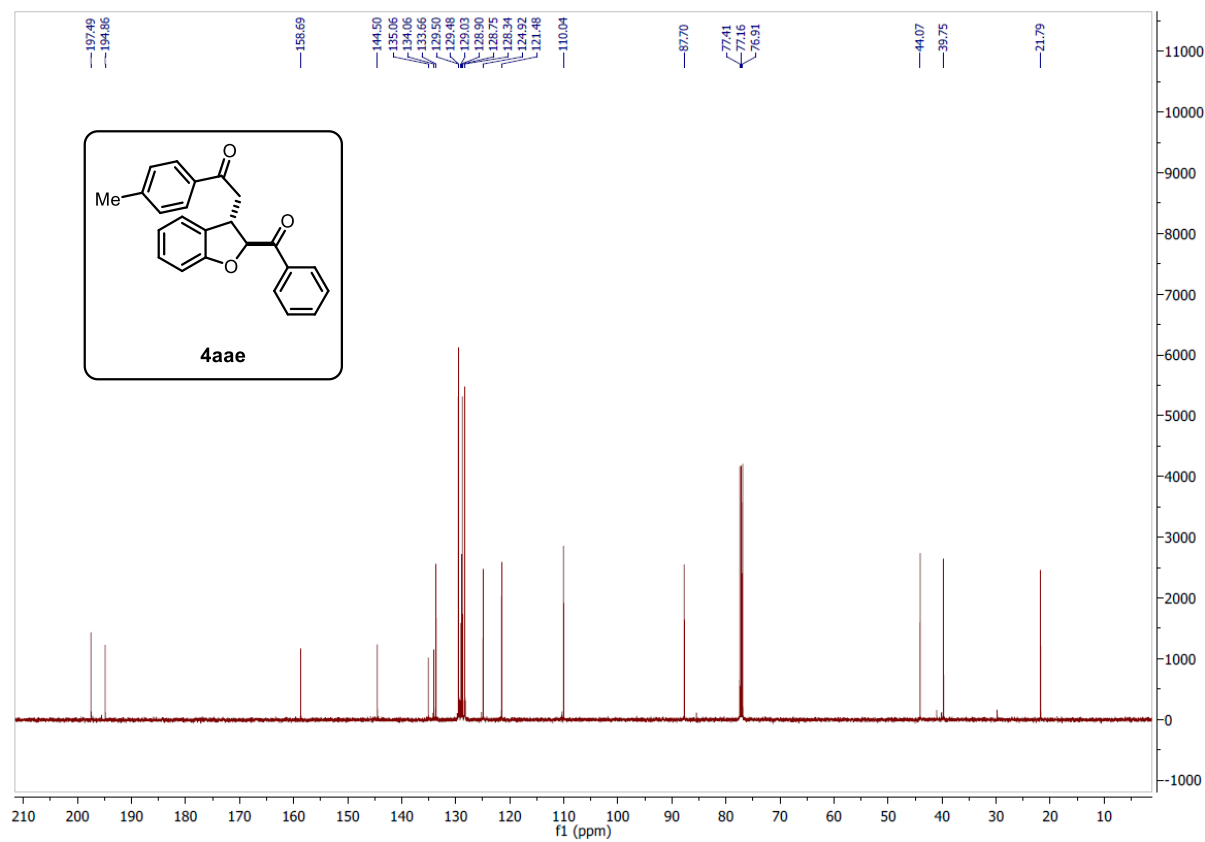
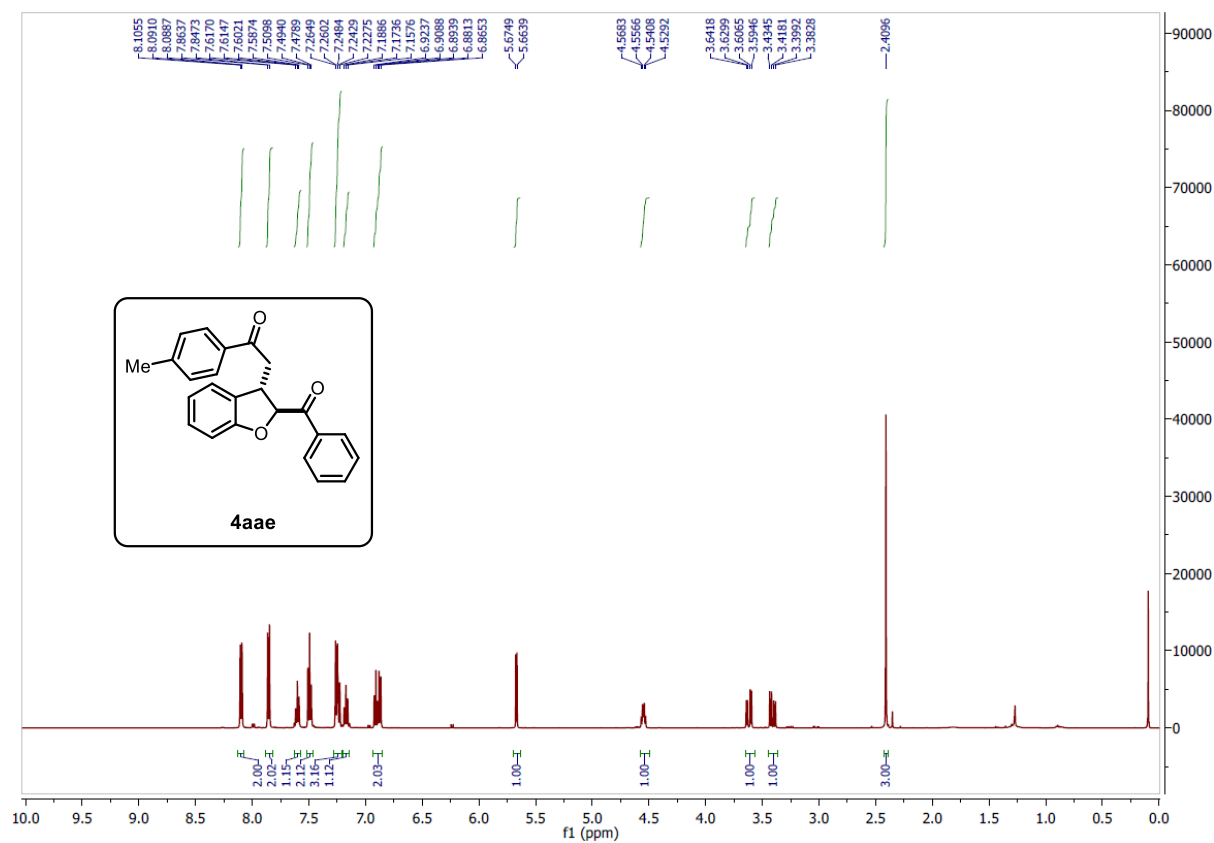
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aab**



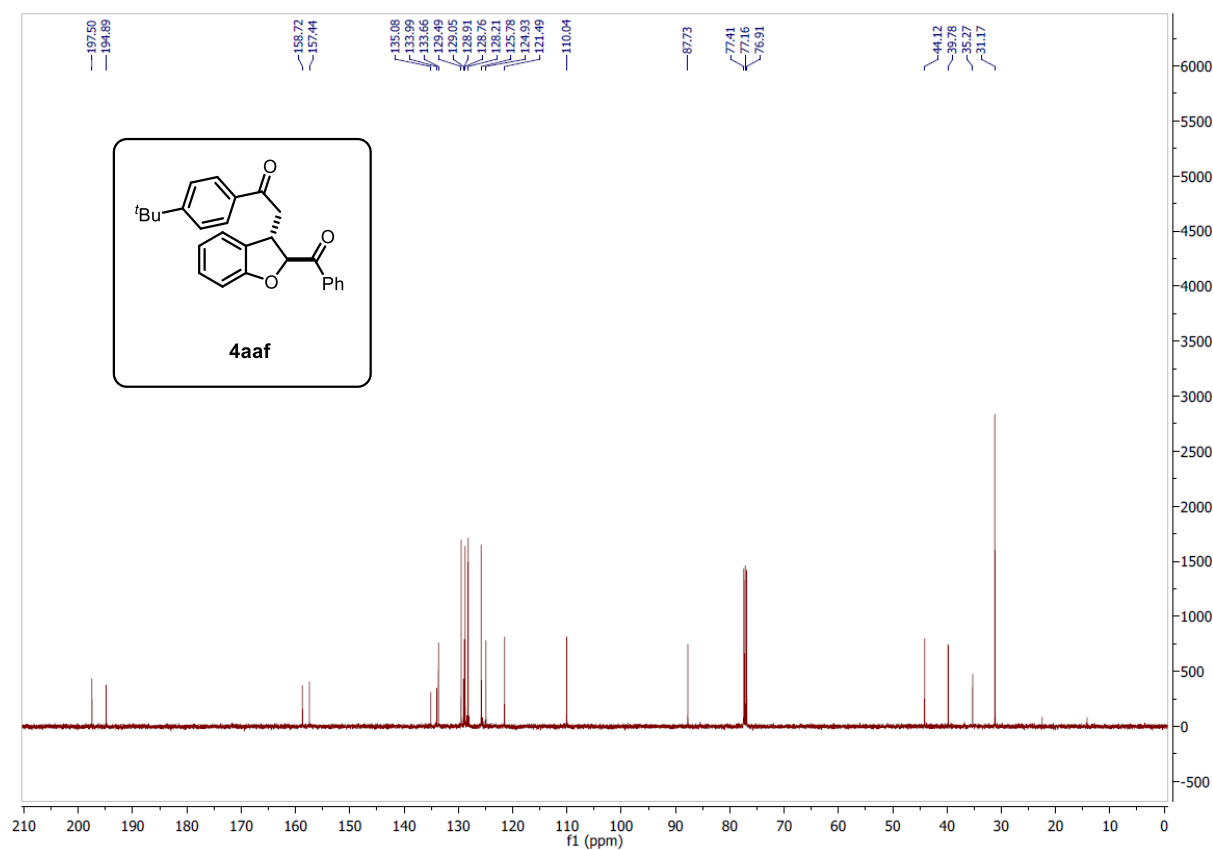
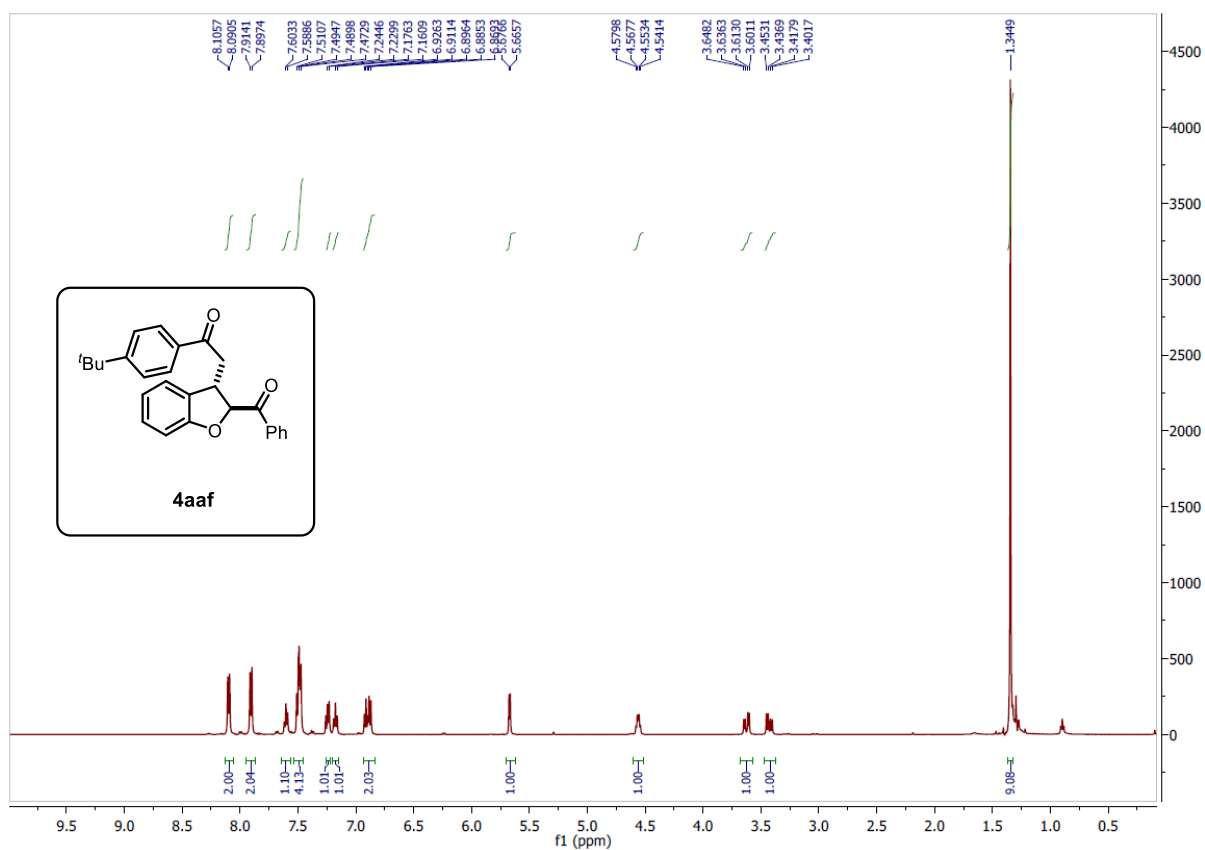
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aac**



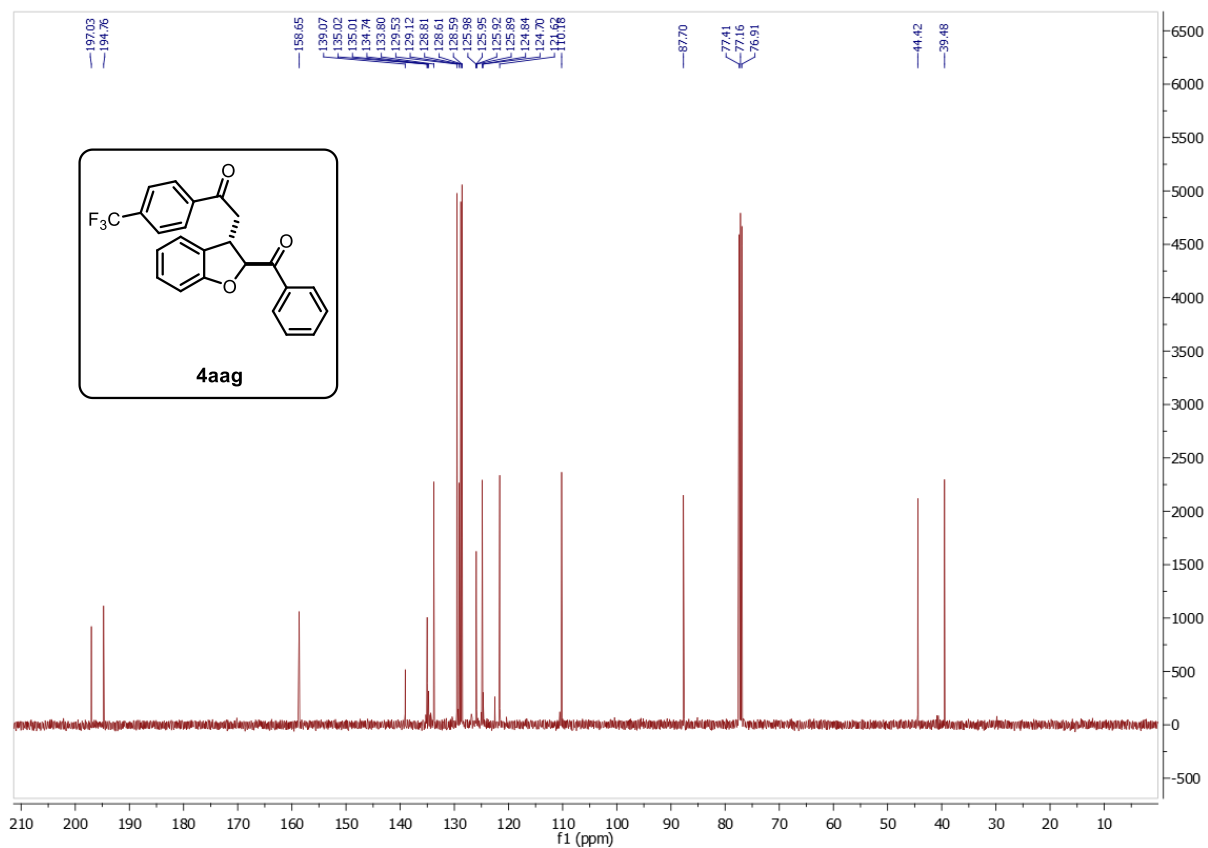
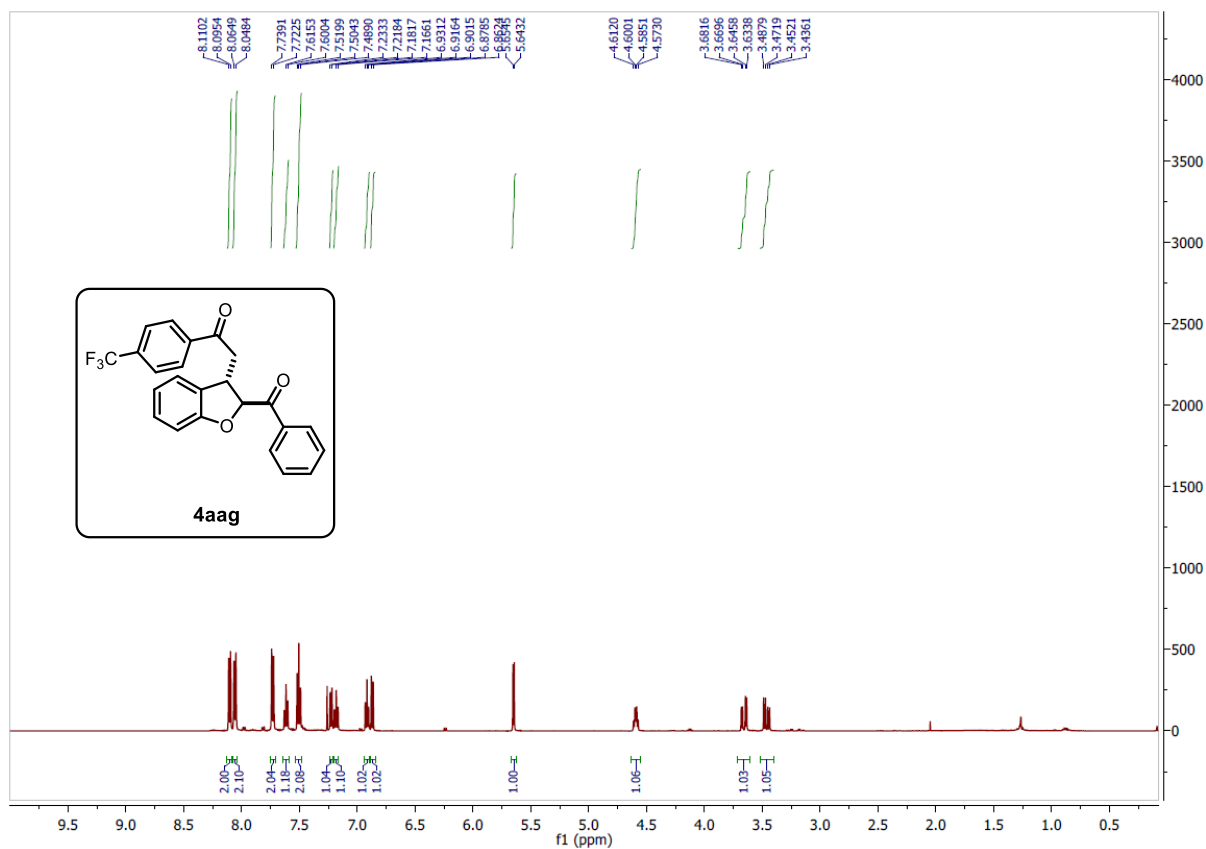
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aad**



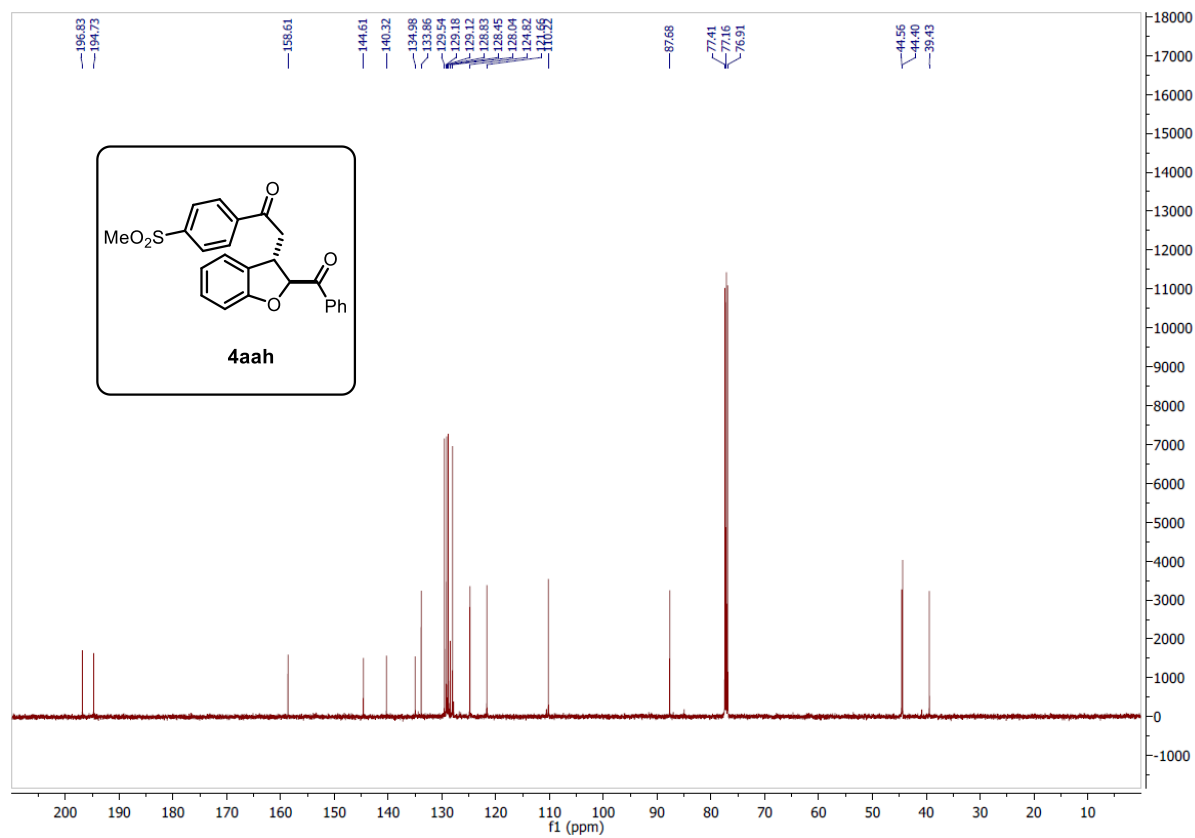
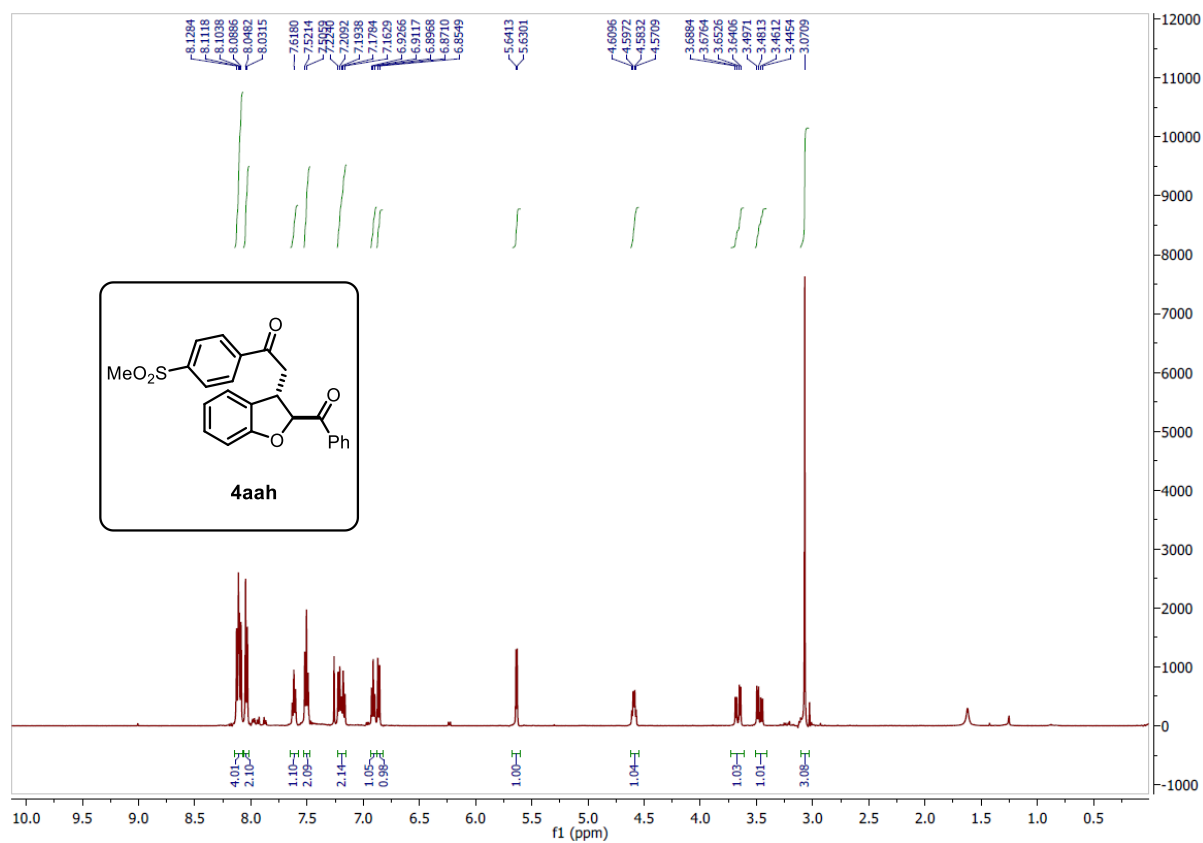
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of 4aae



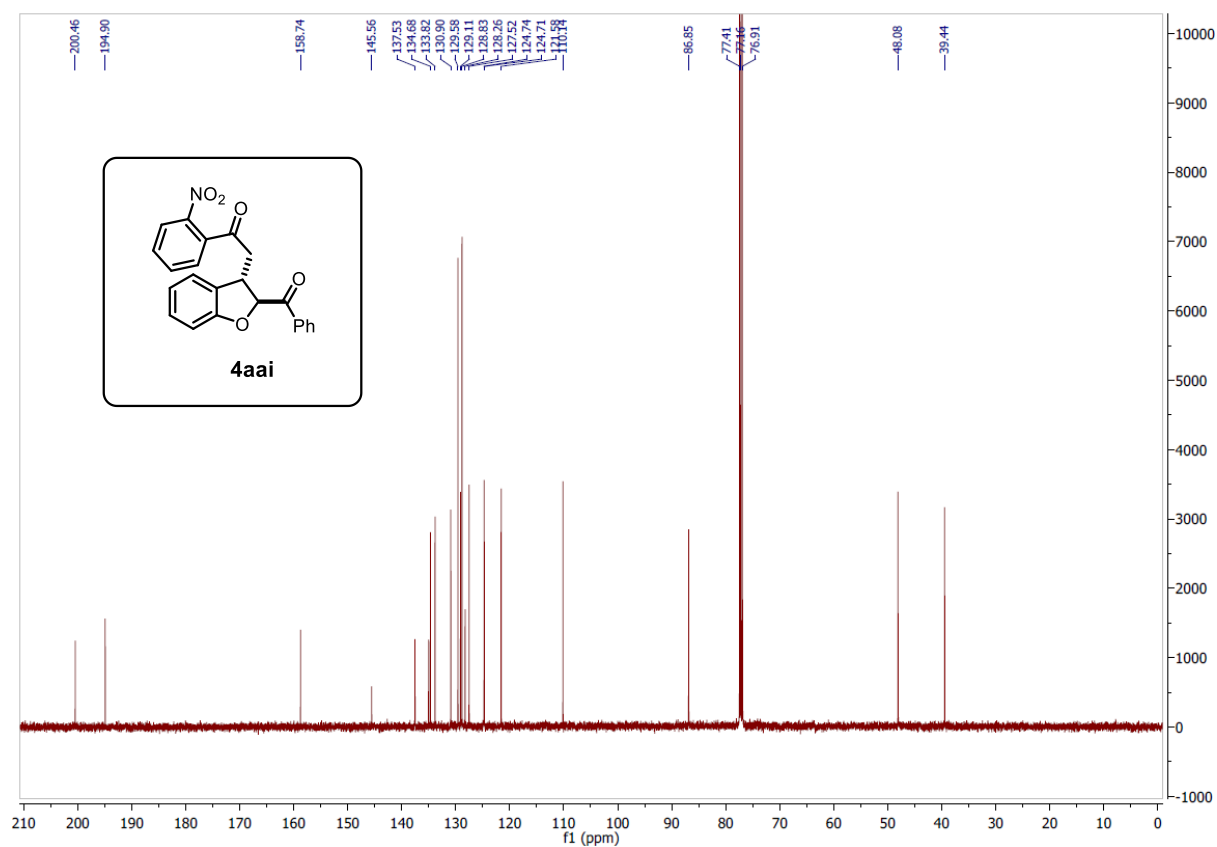
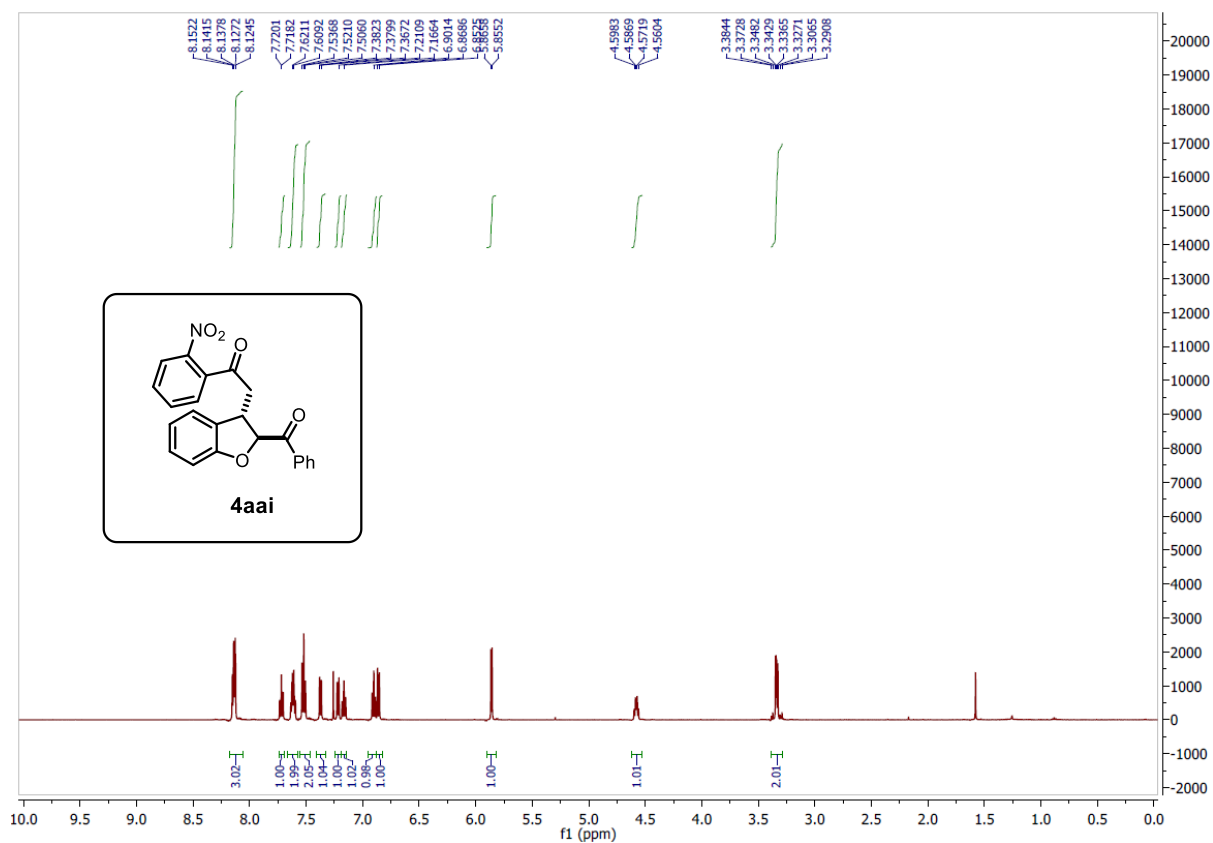
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aaf**



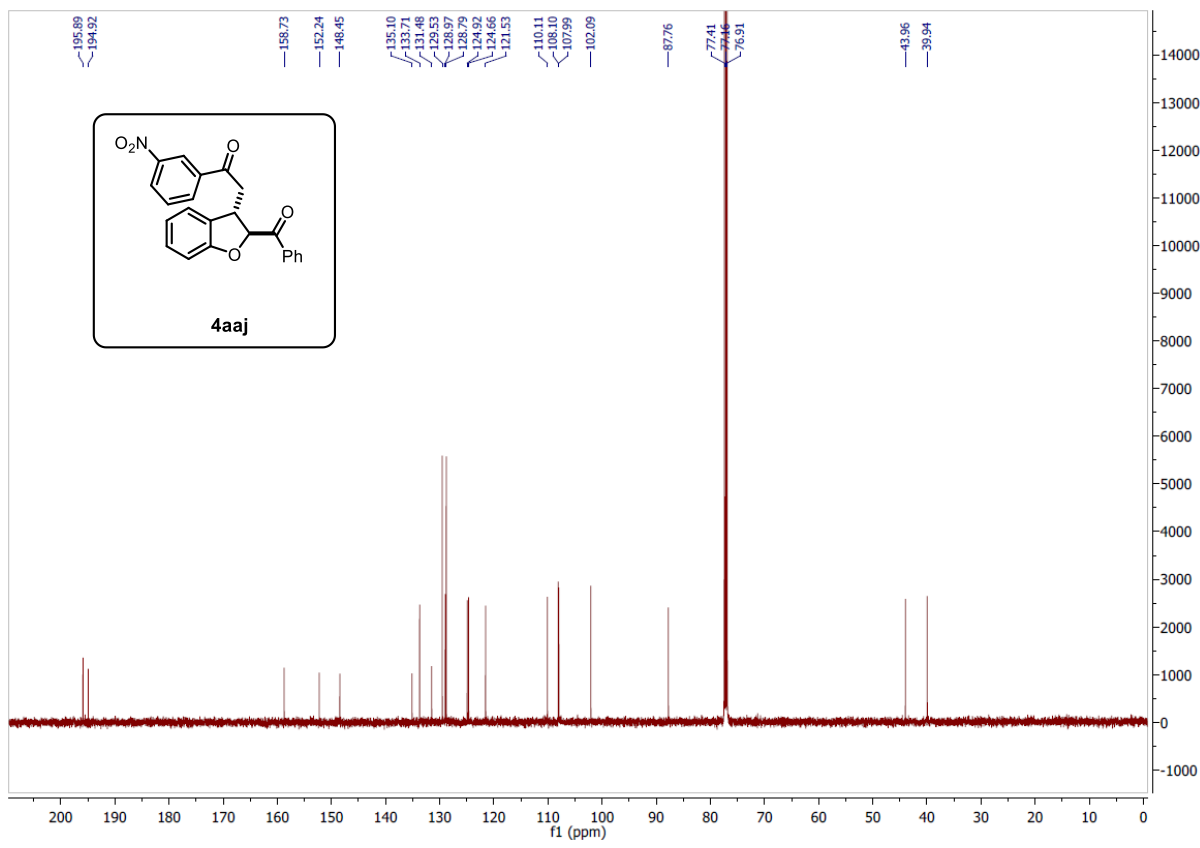
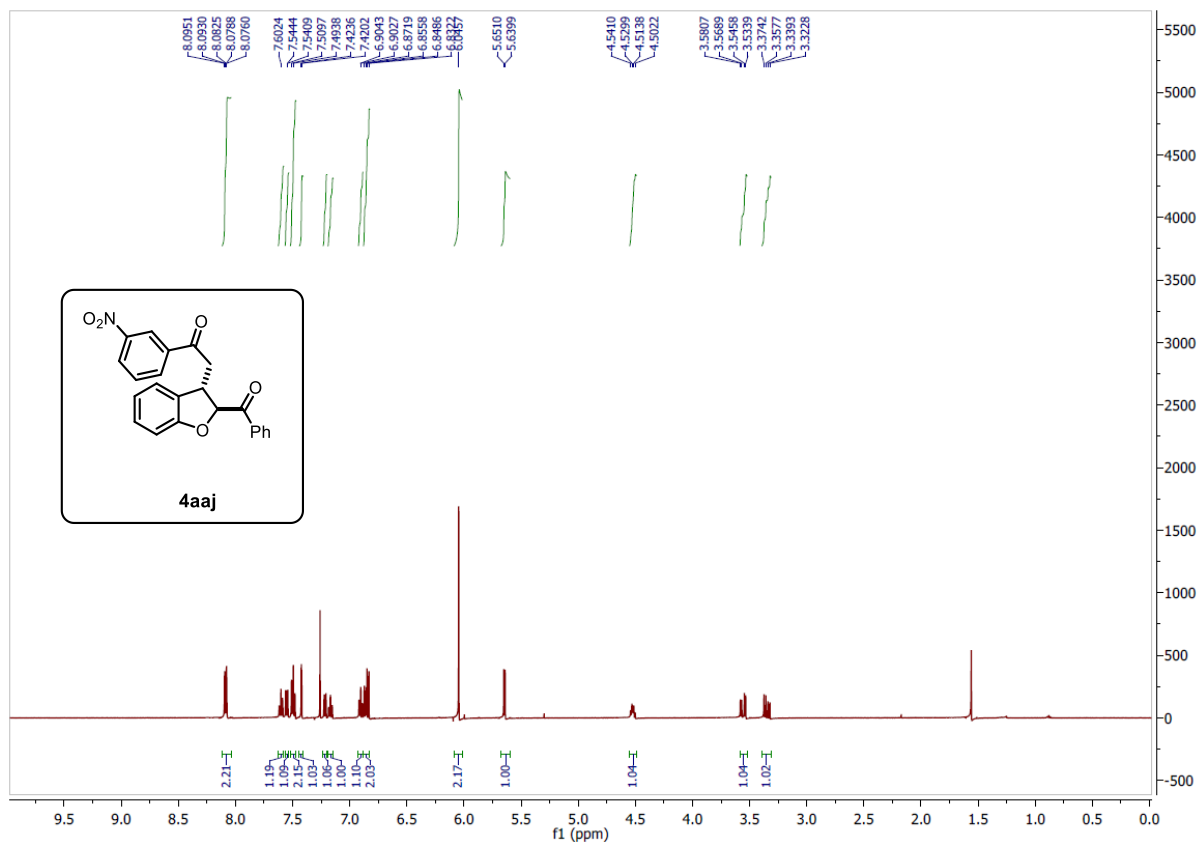
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aag**



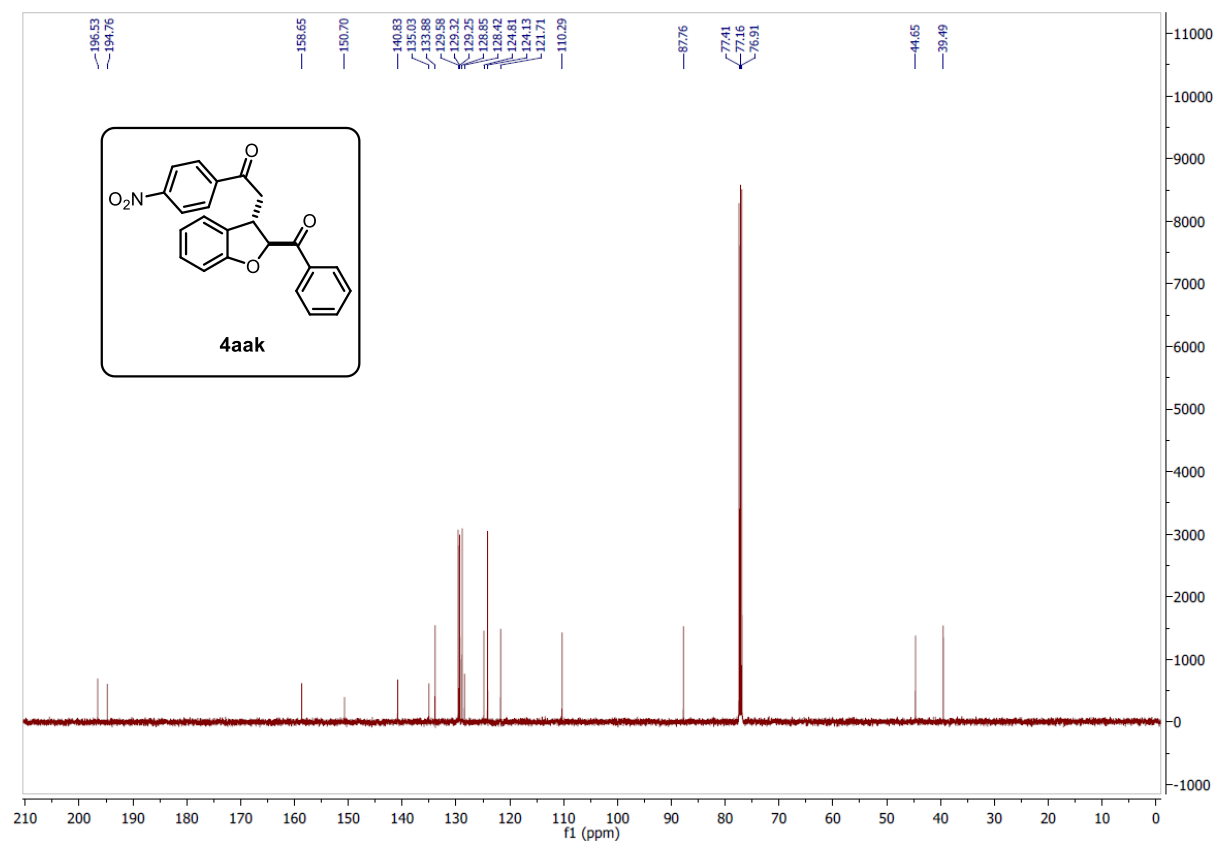
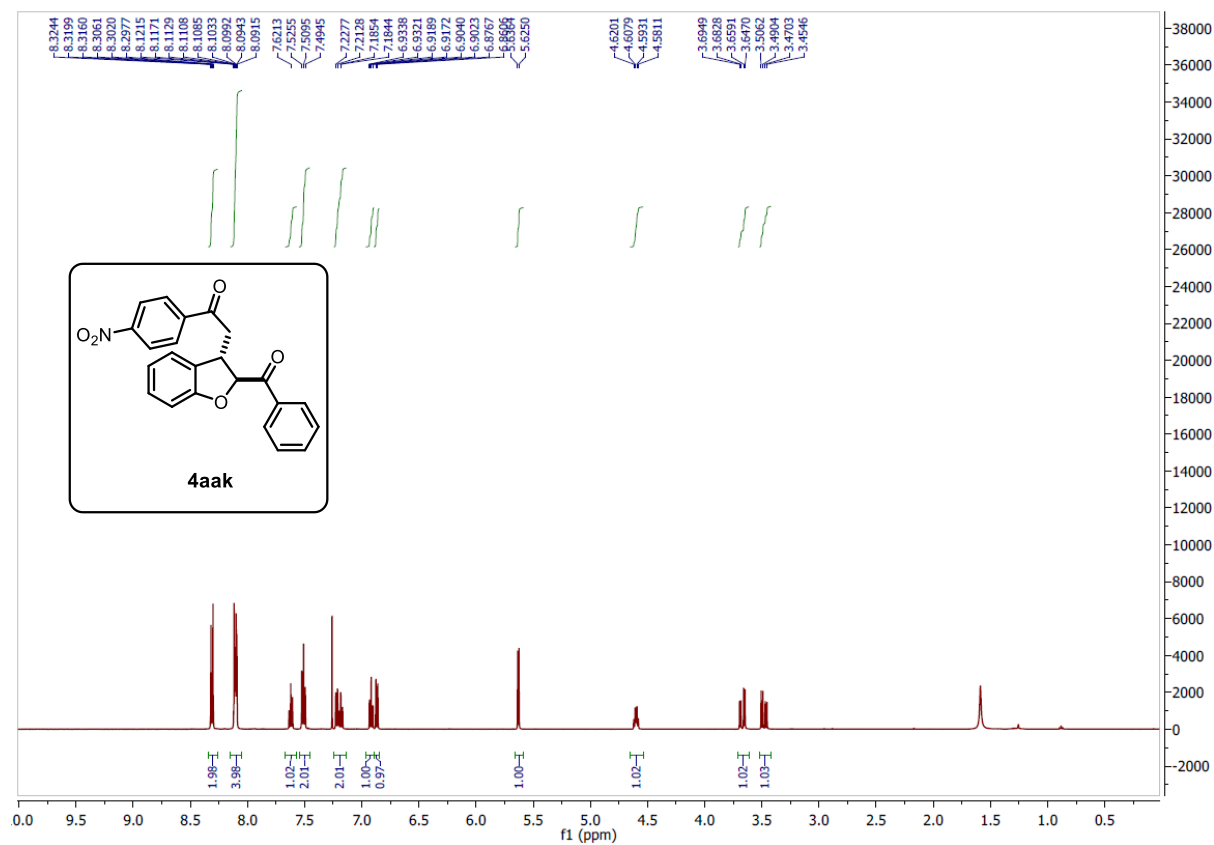
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aah**



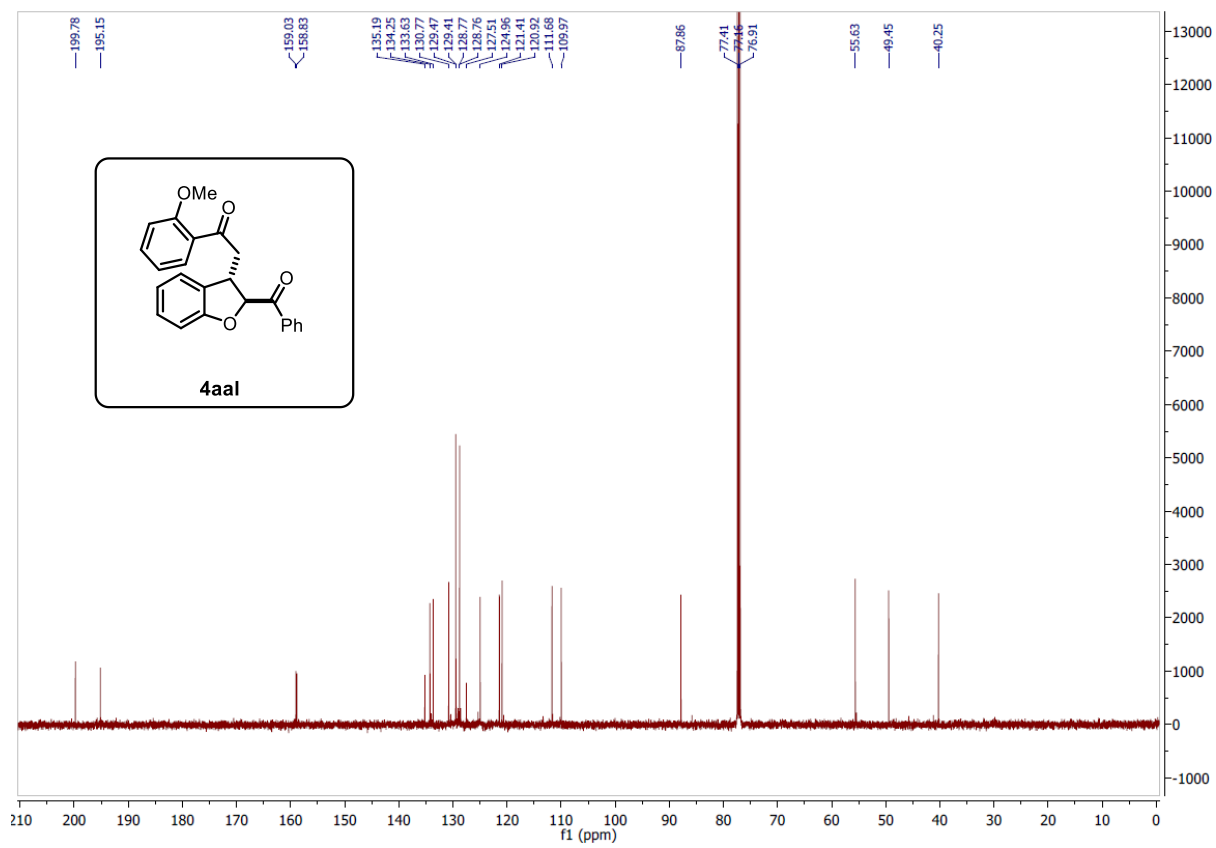
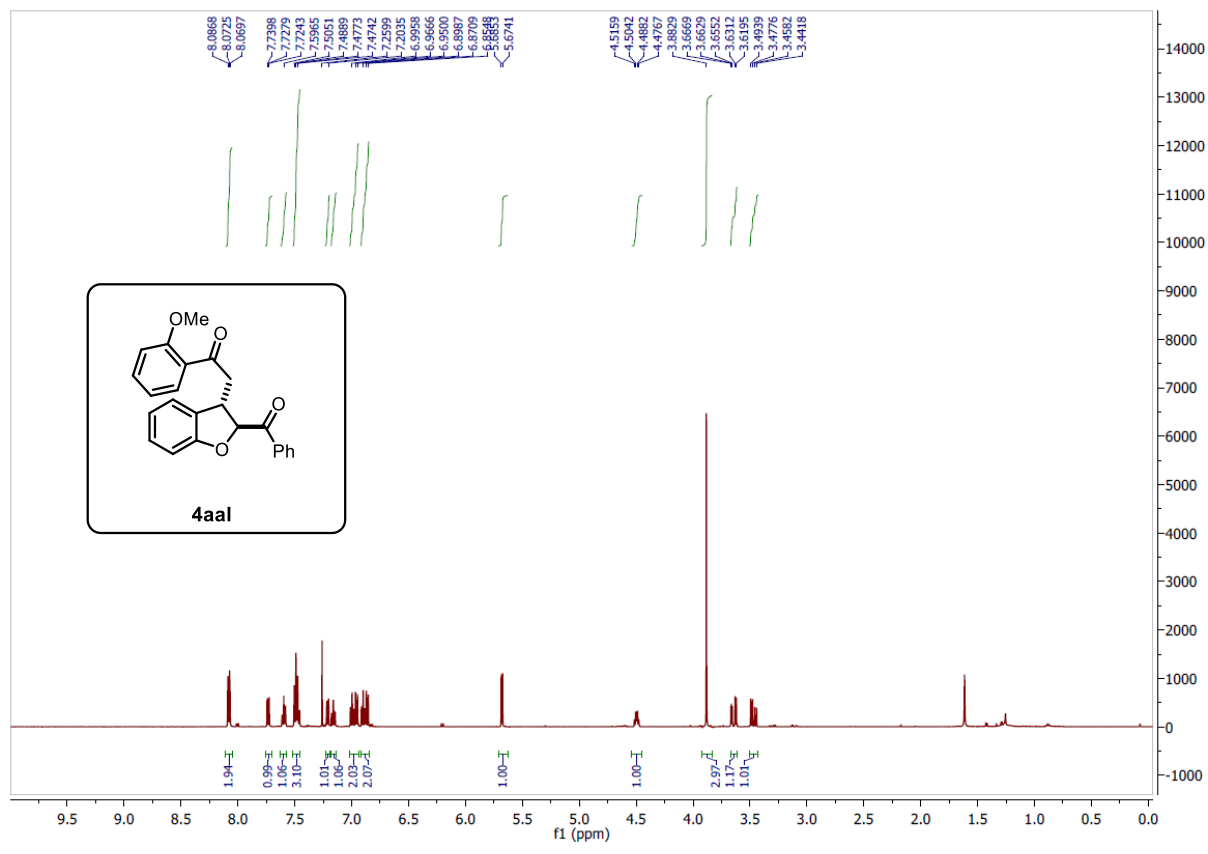
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aai**



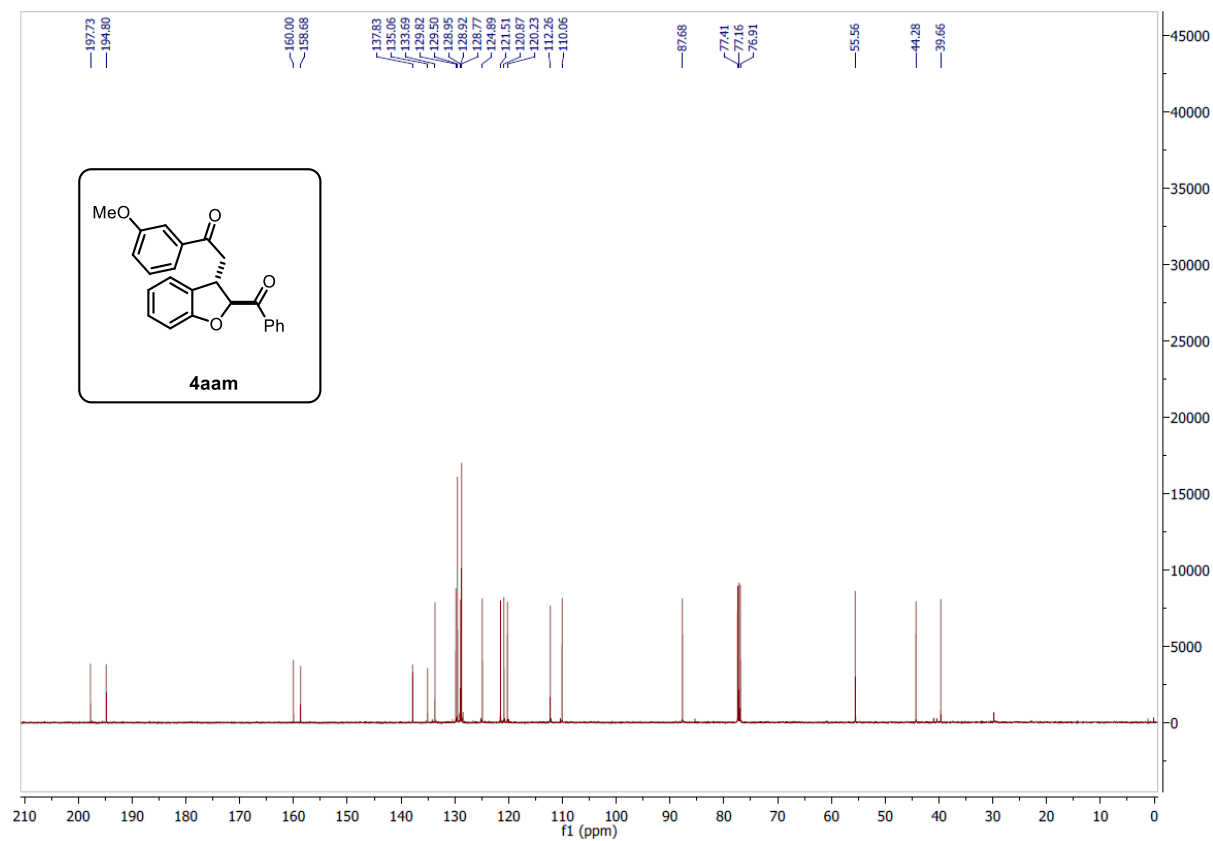
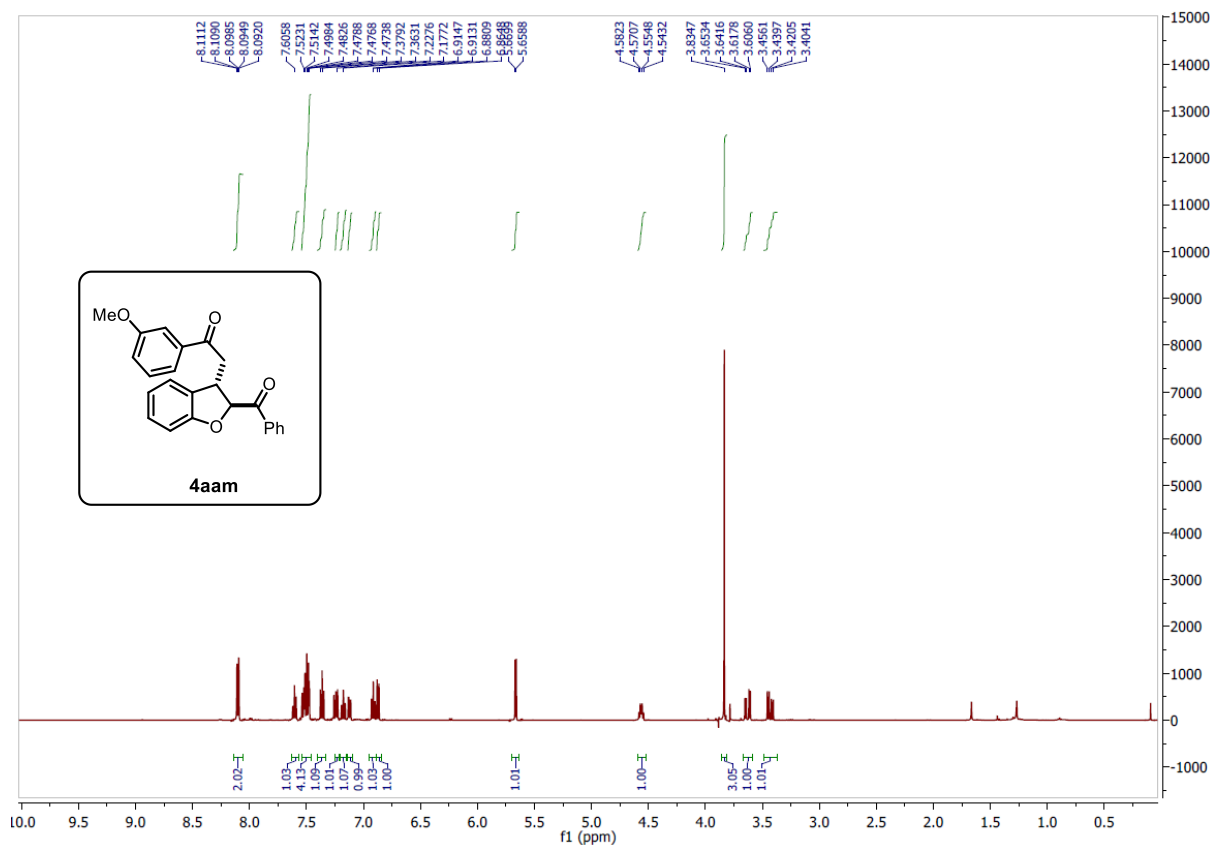
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aaj**



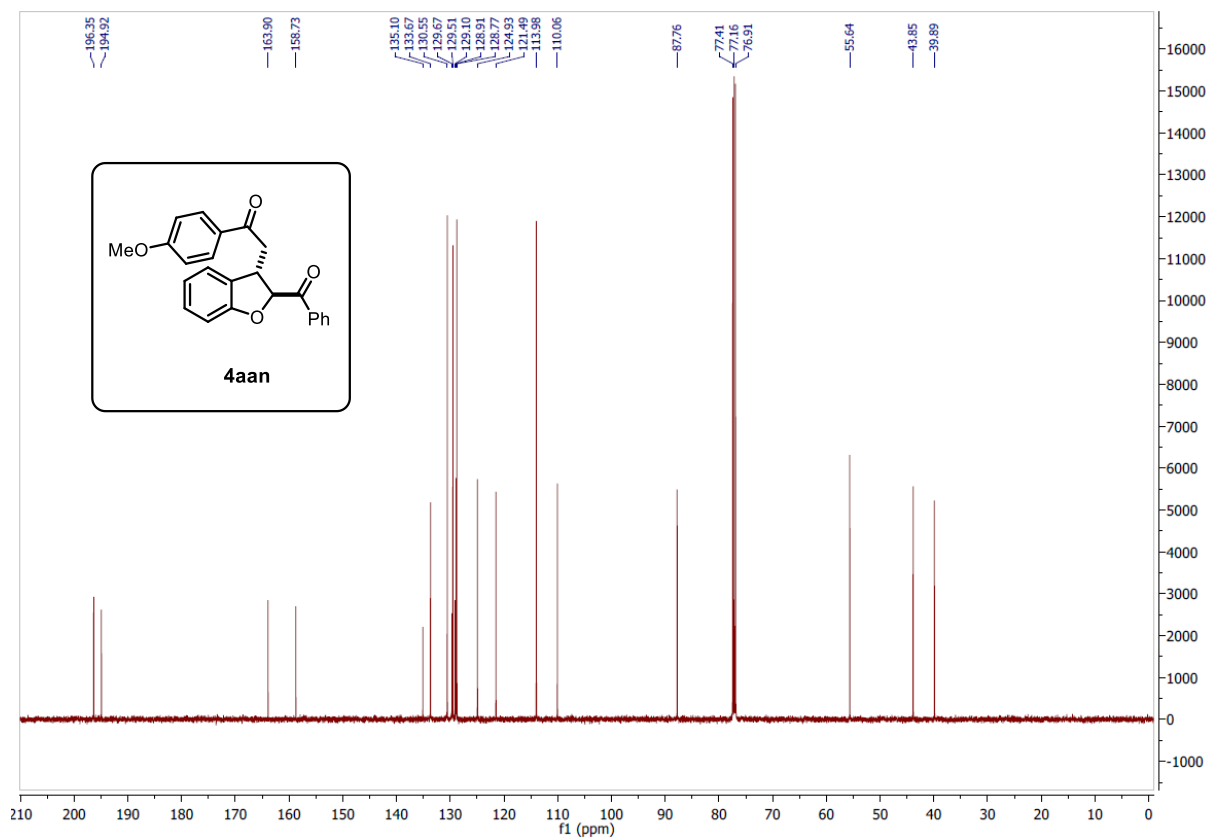
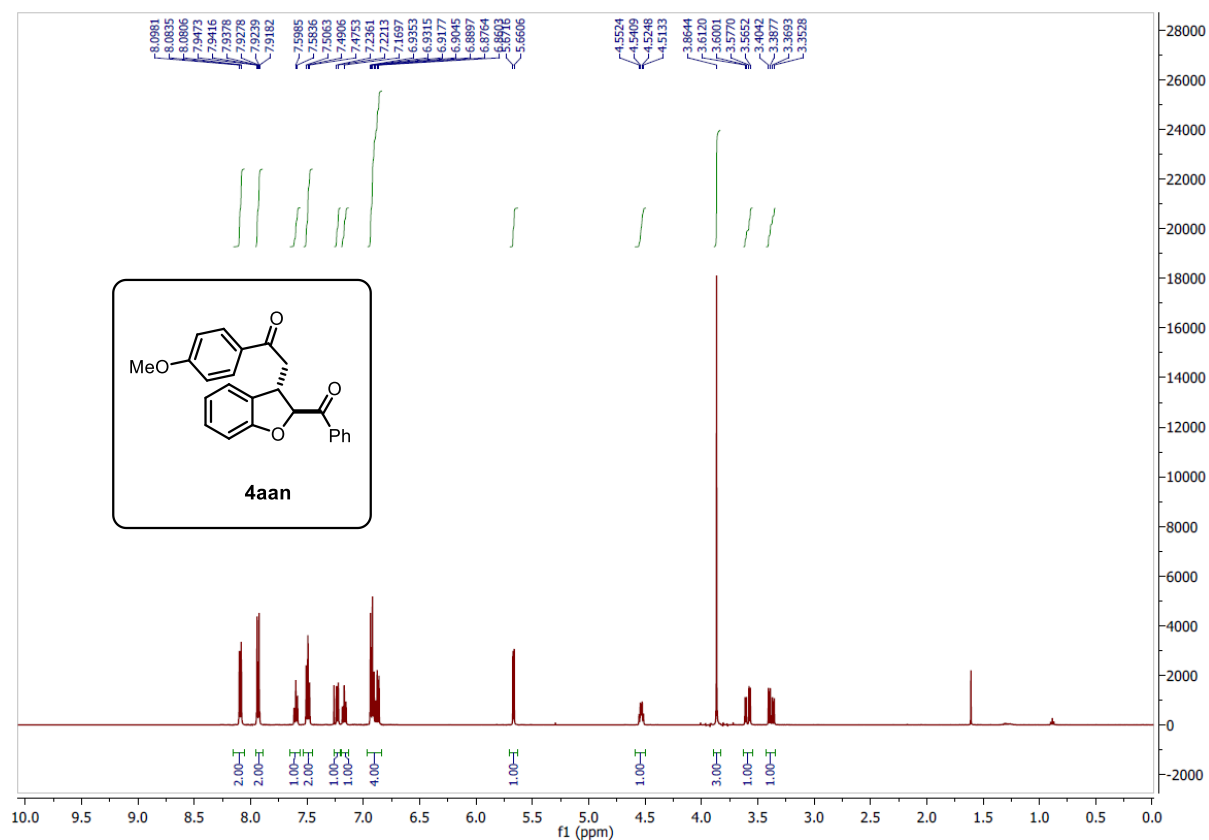
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aak**



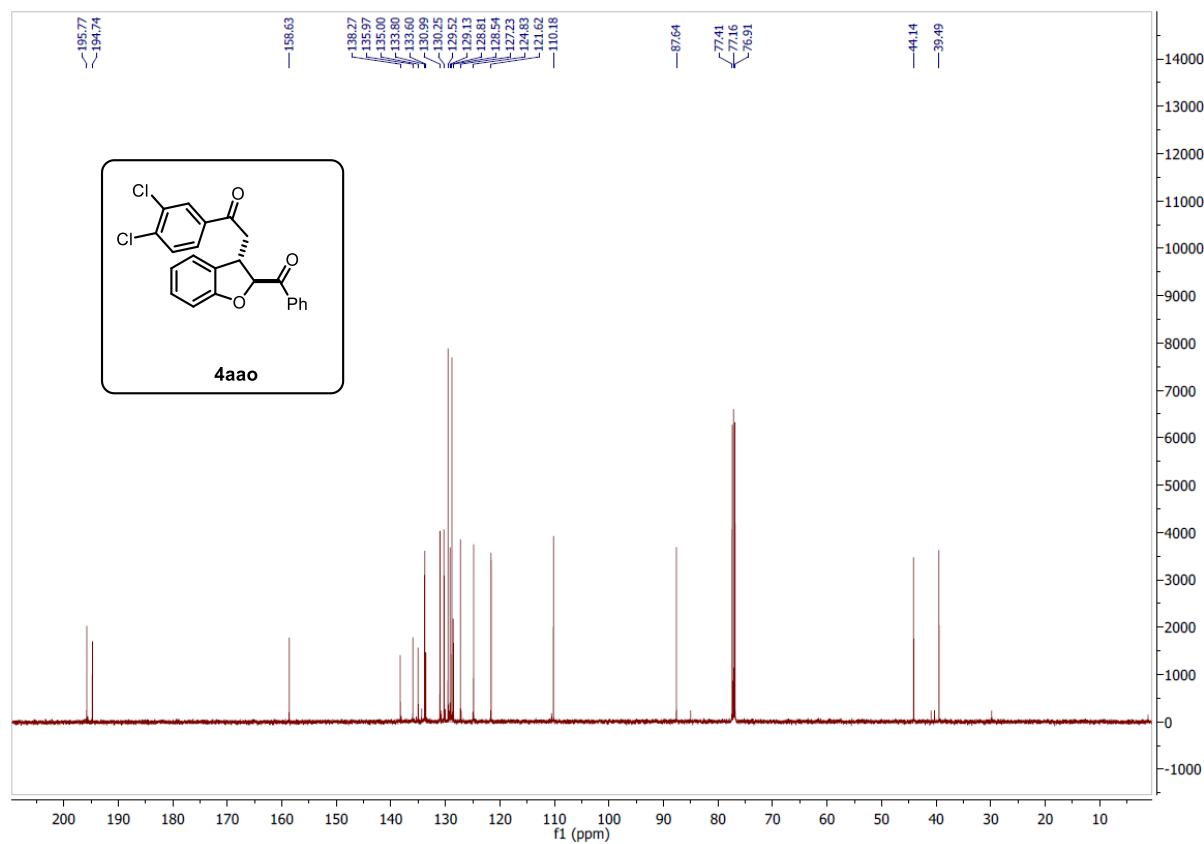
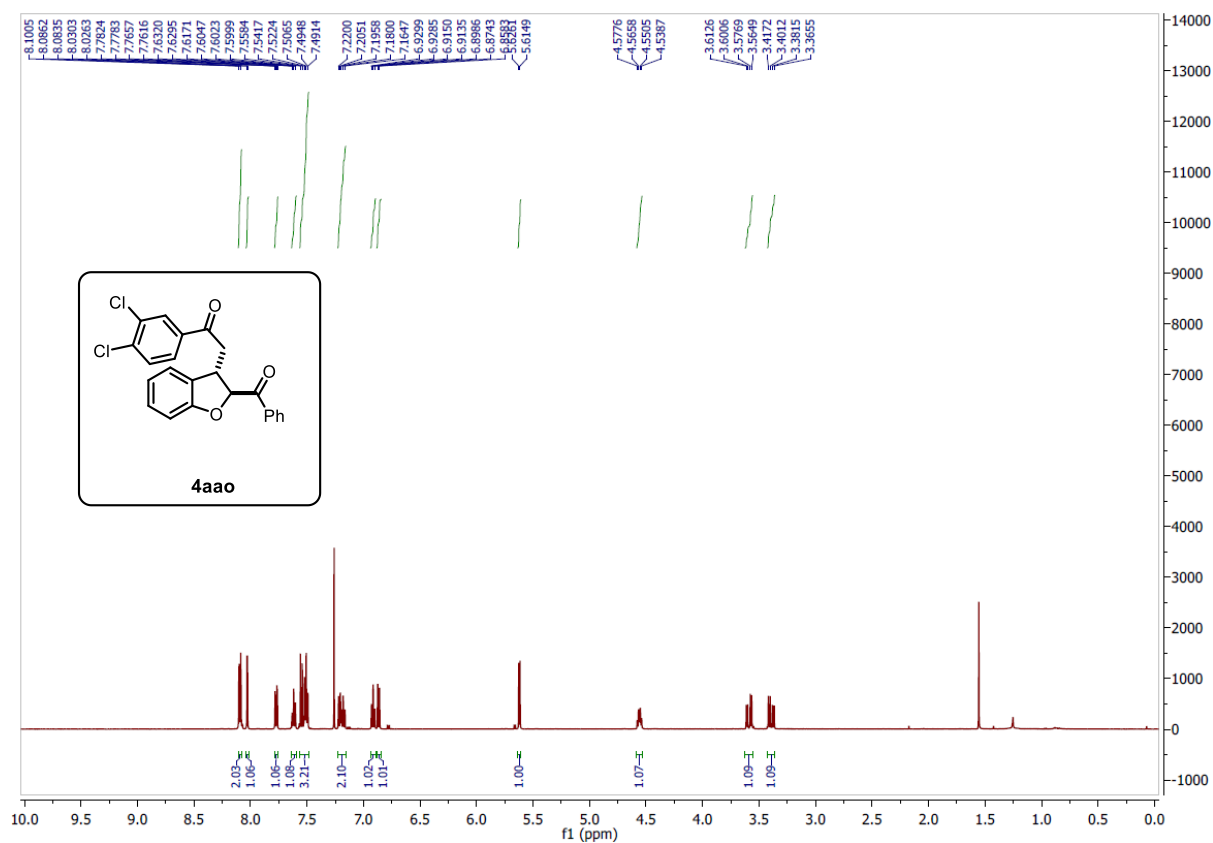
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aal**



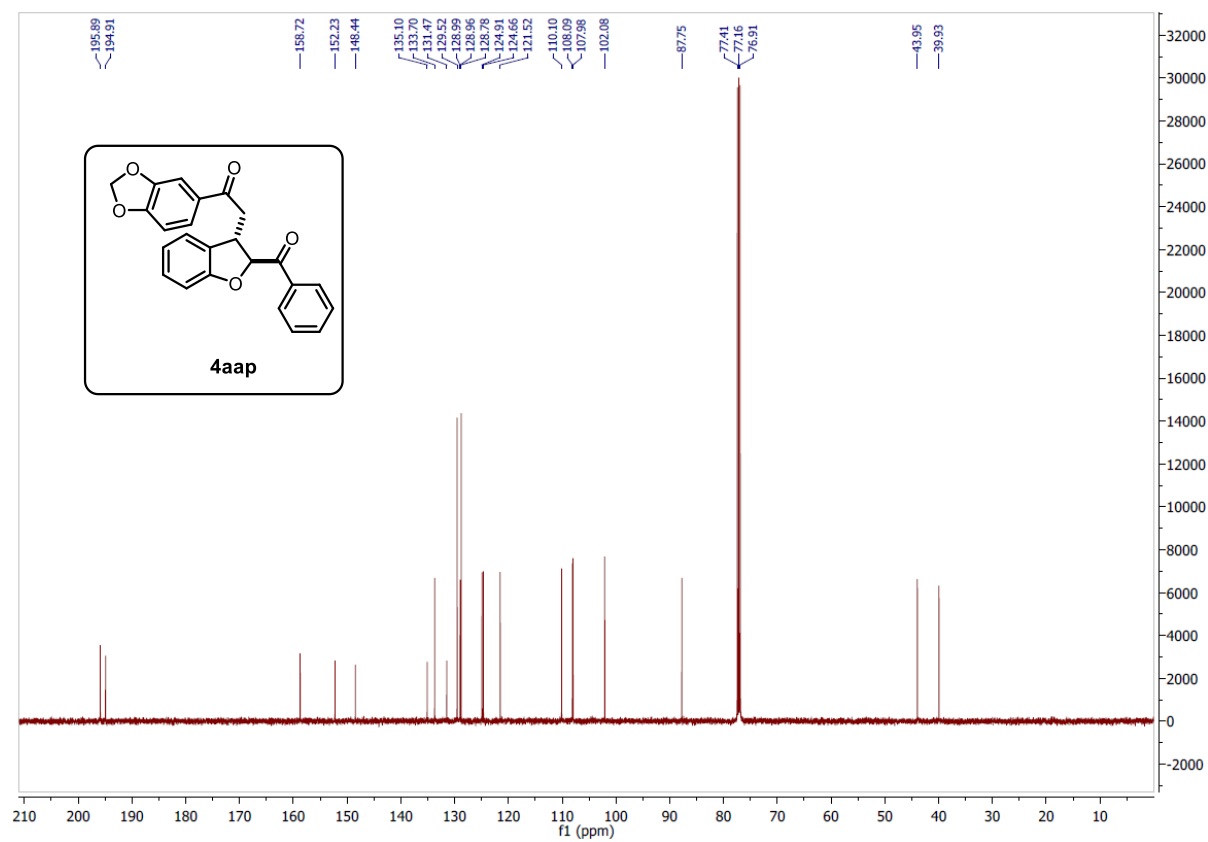
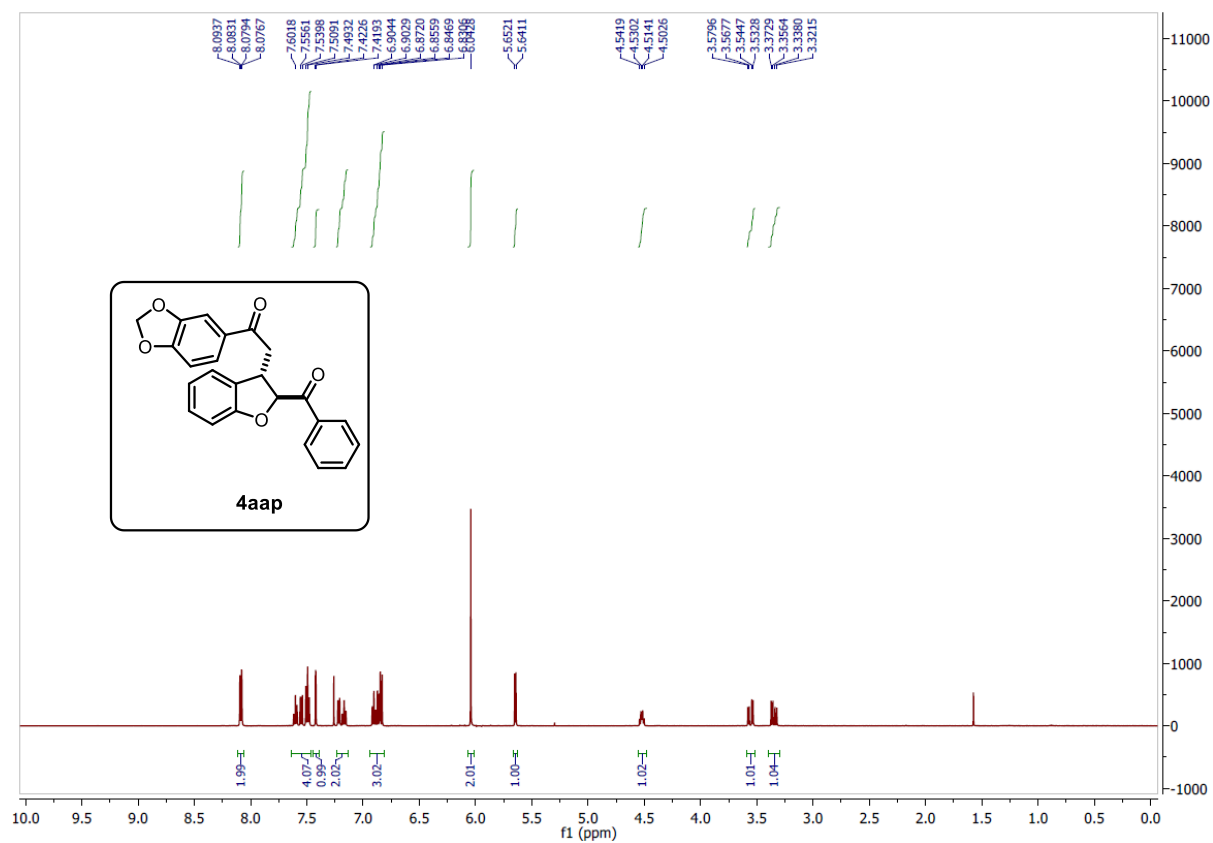
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aam**



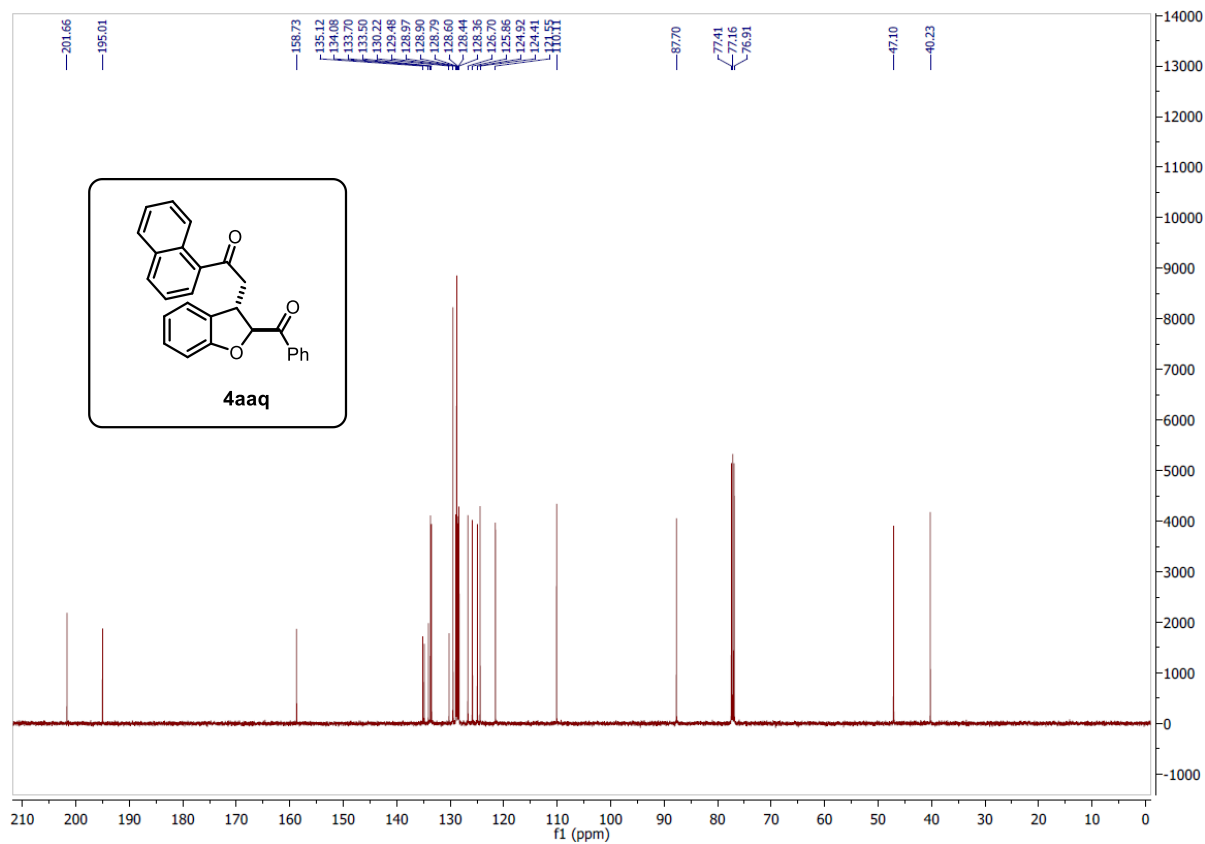
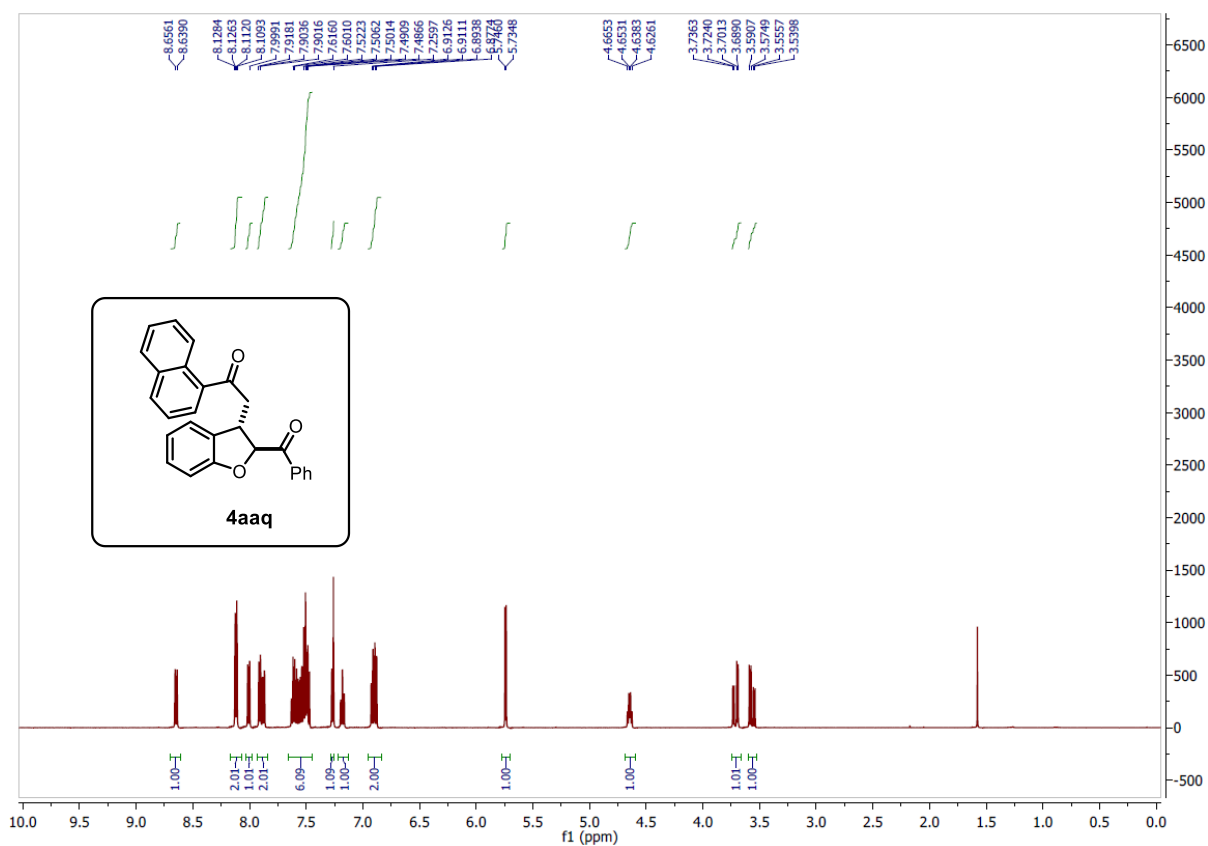
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aan**



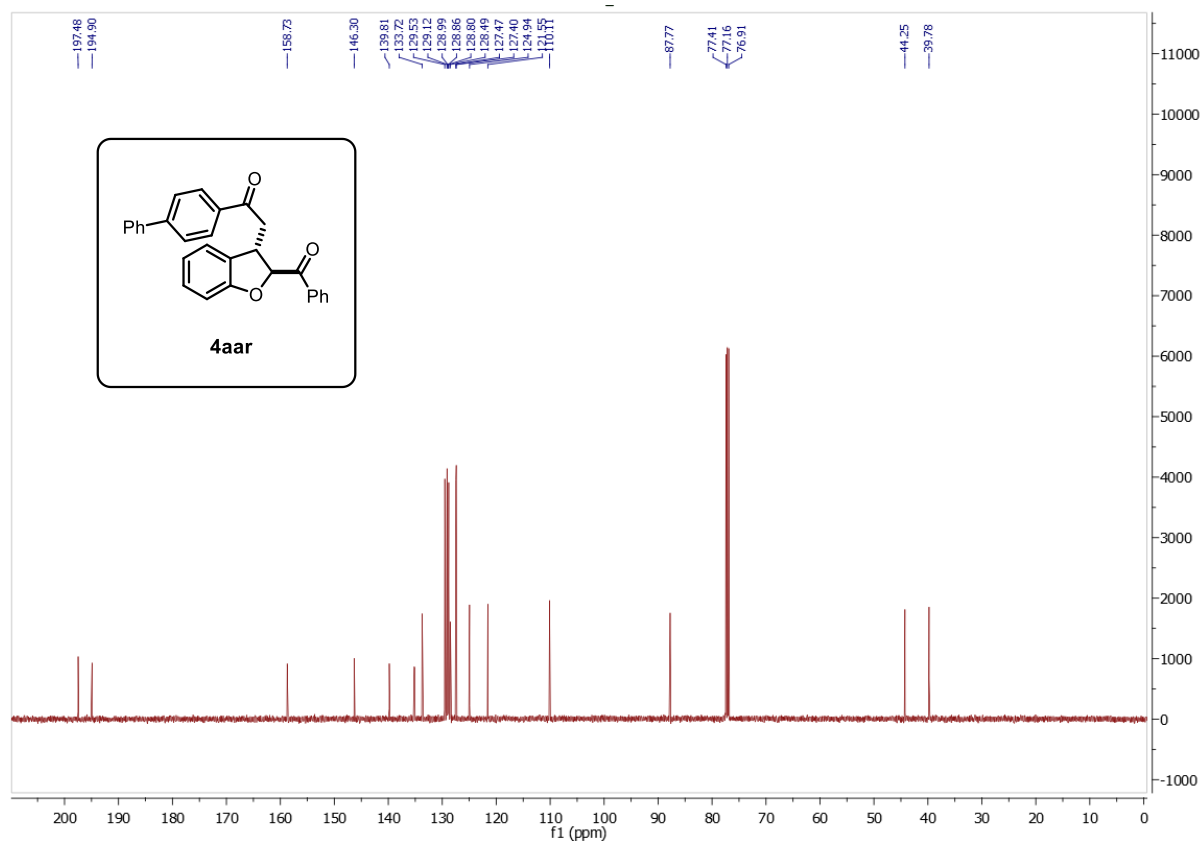
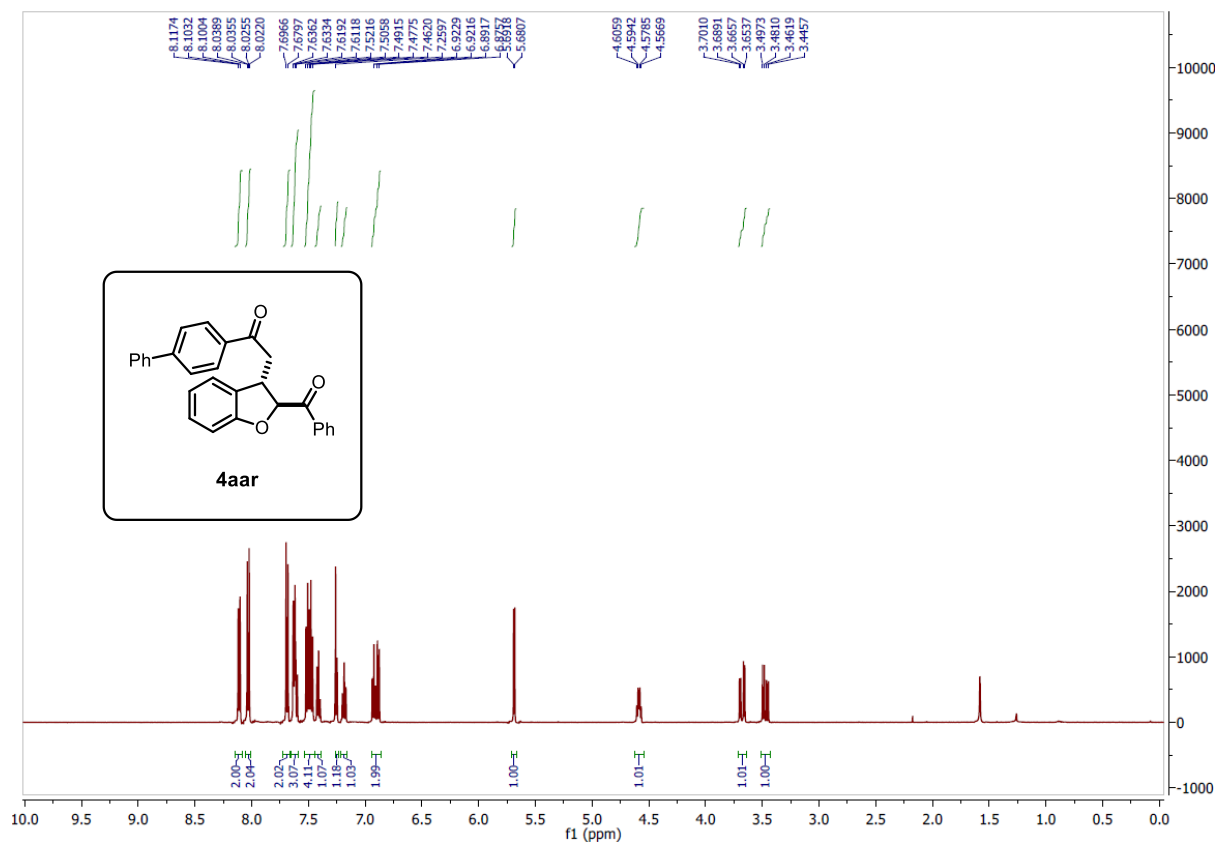
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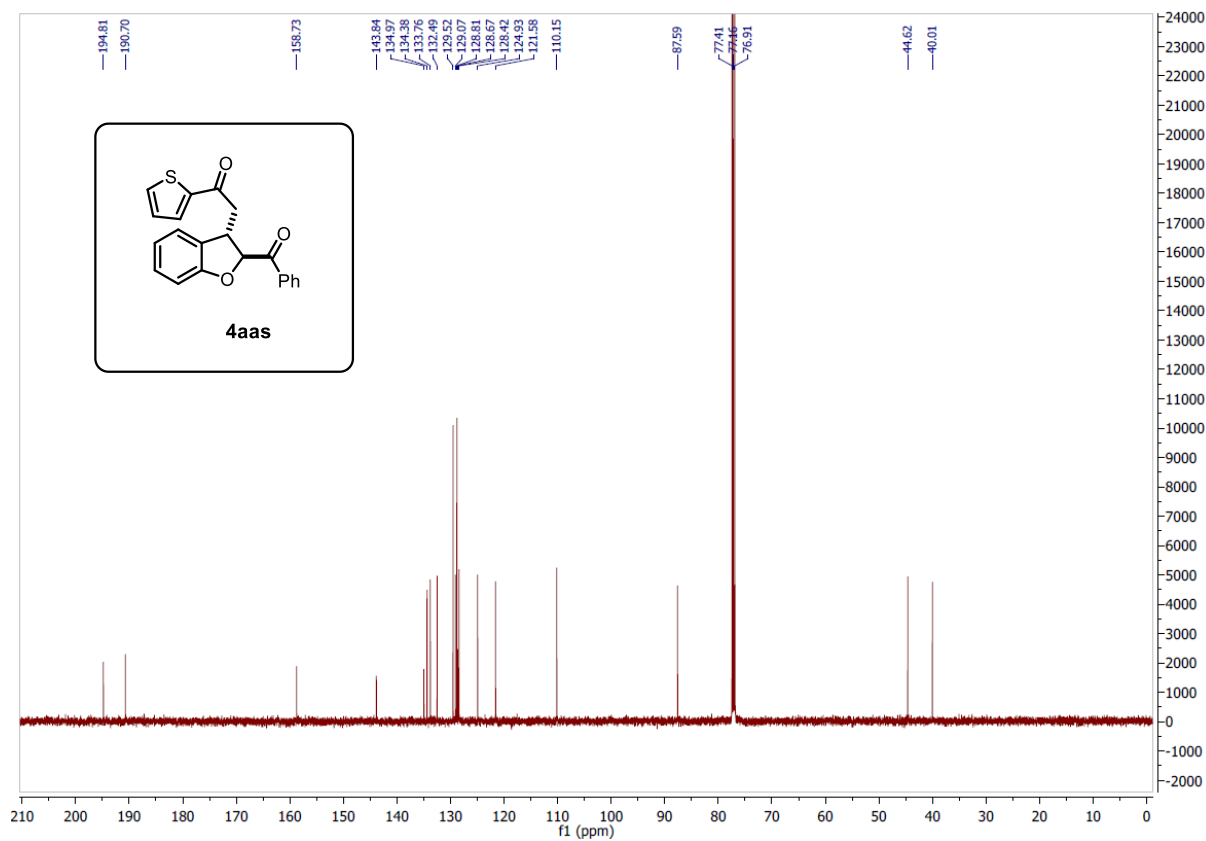
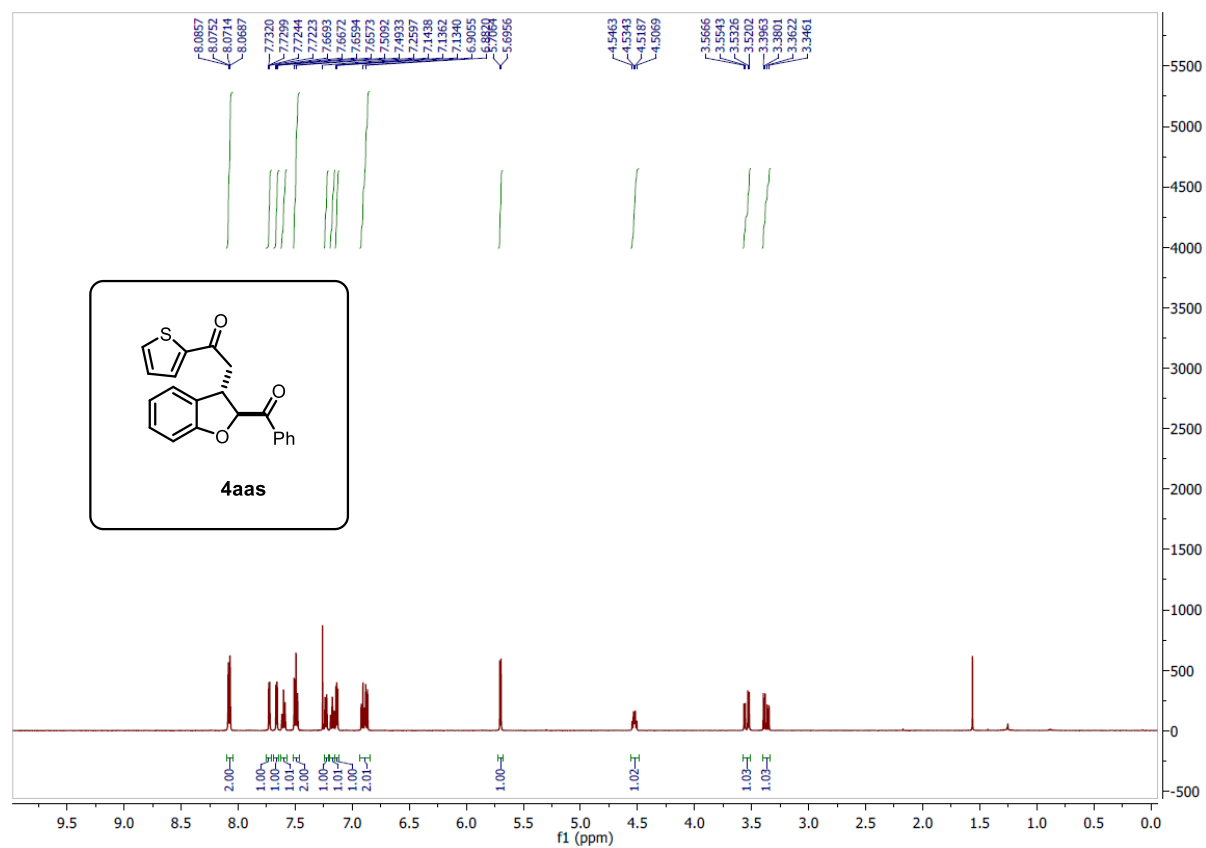
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aap**



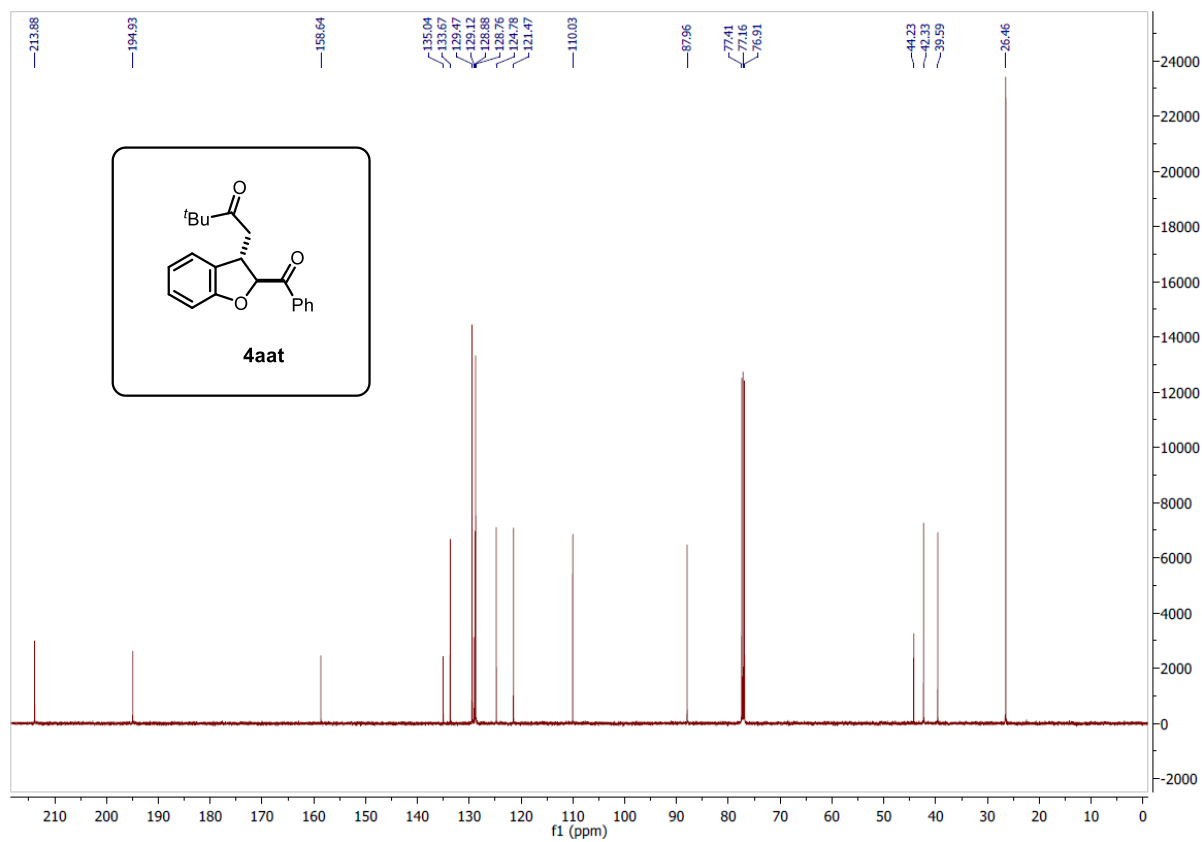
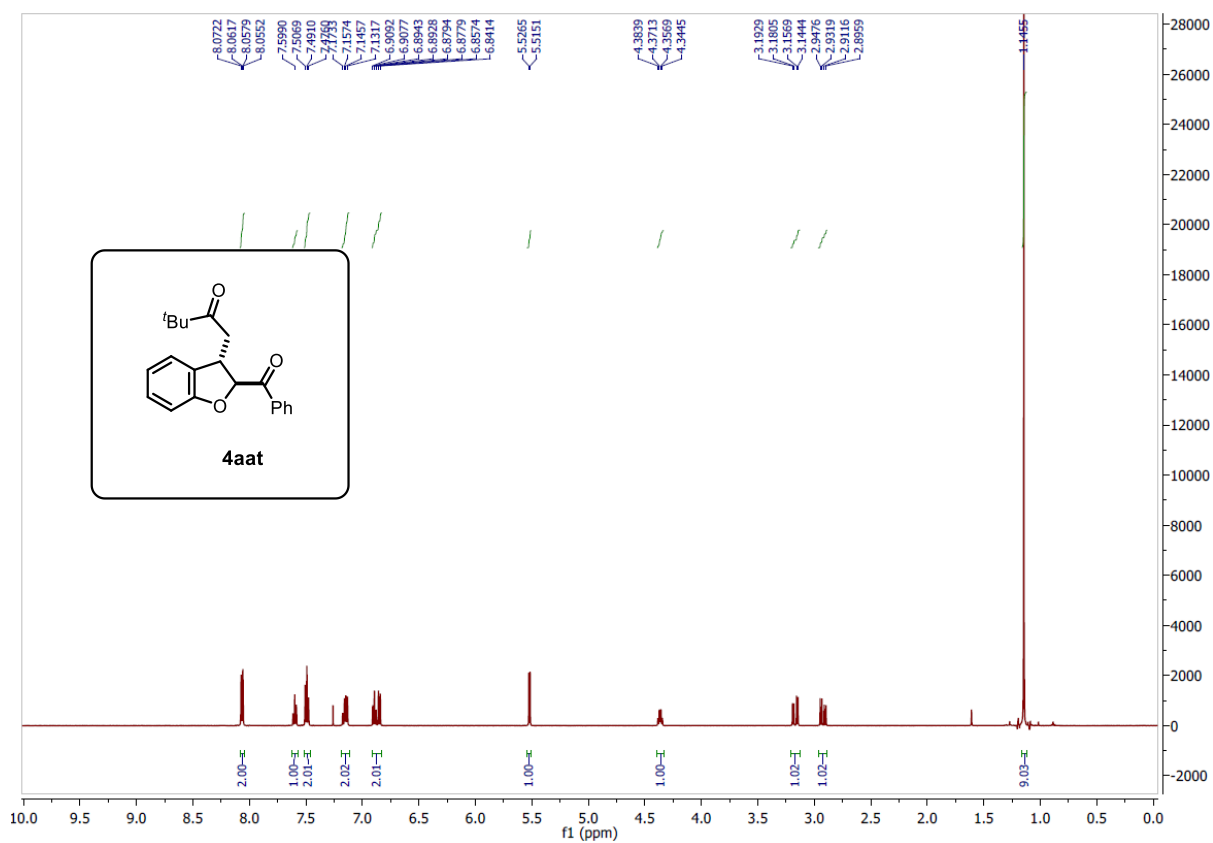
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aaq**



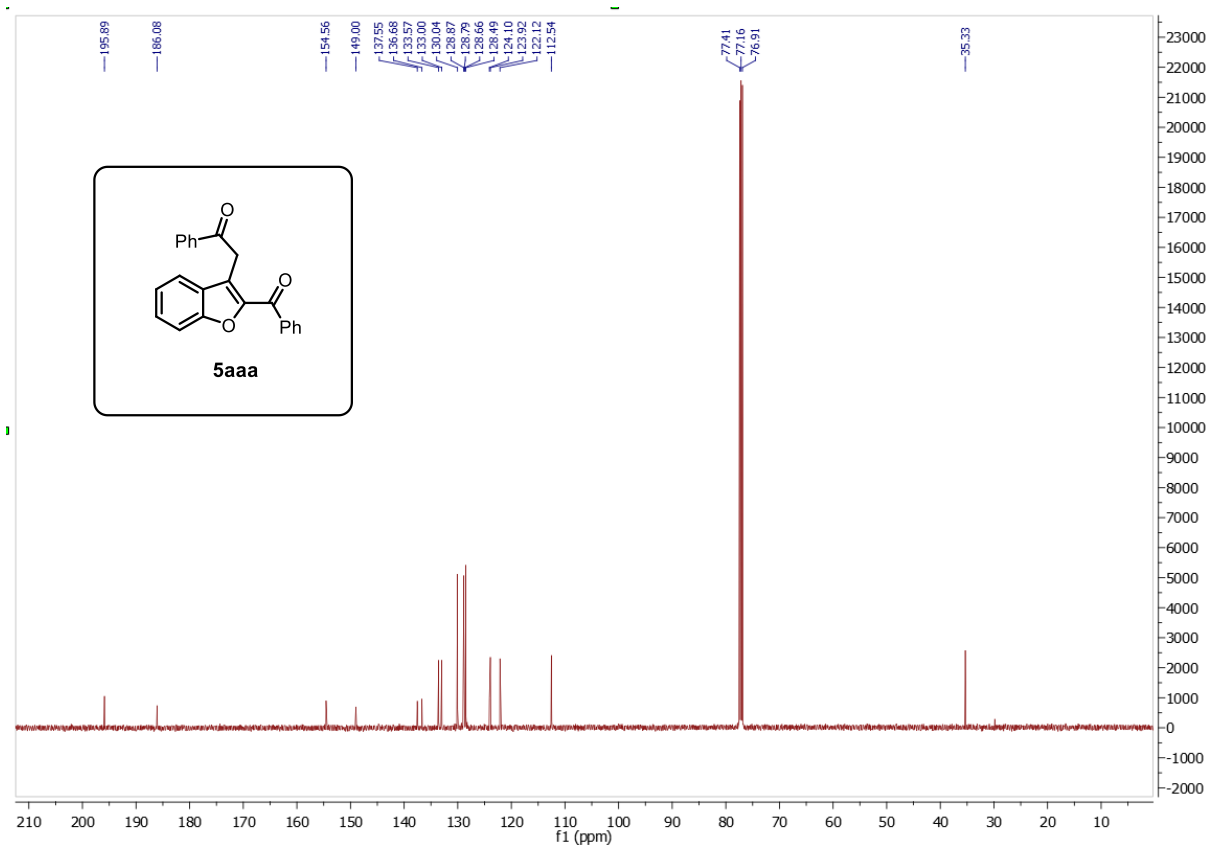
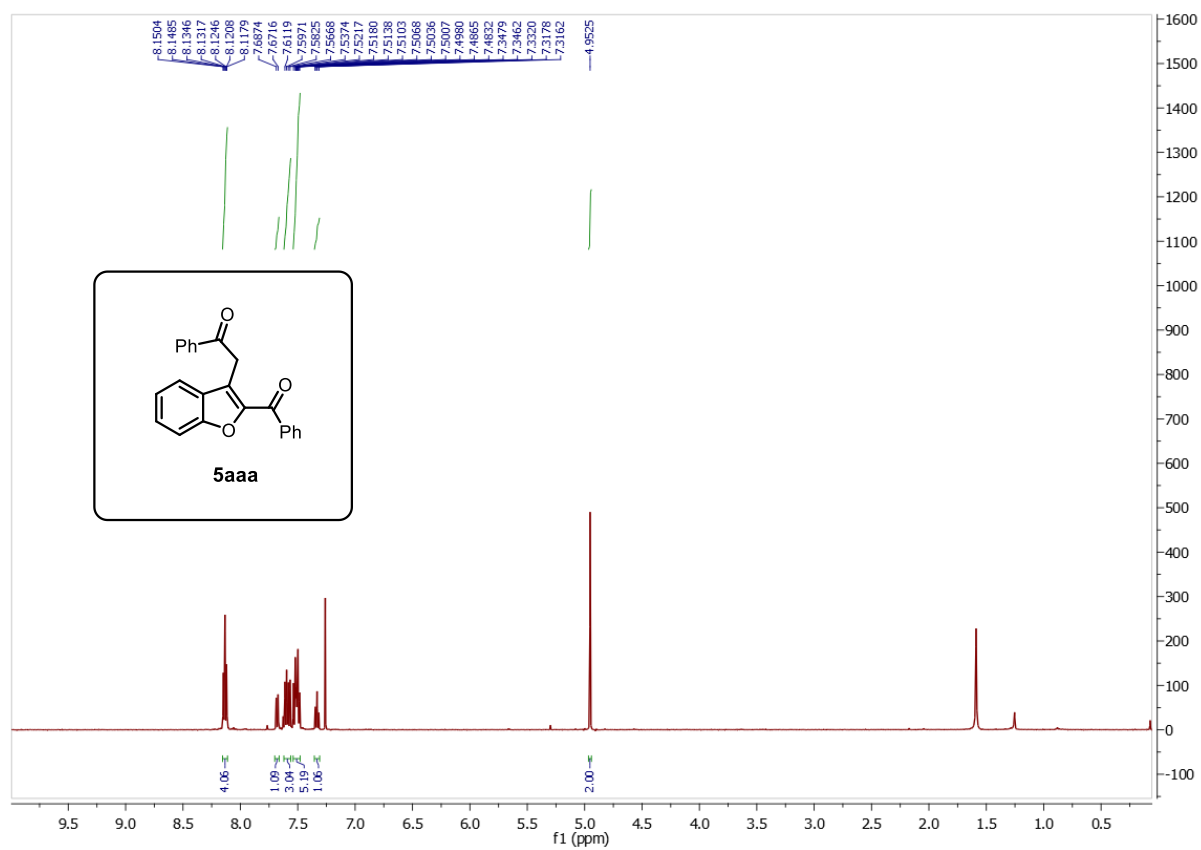
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aar**



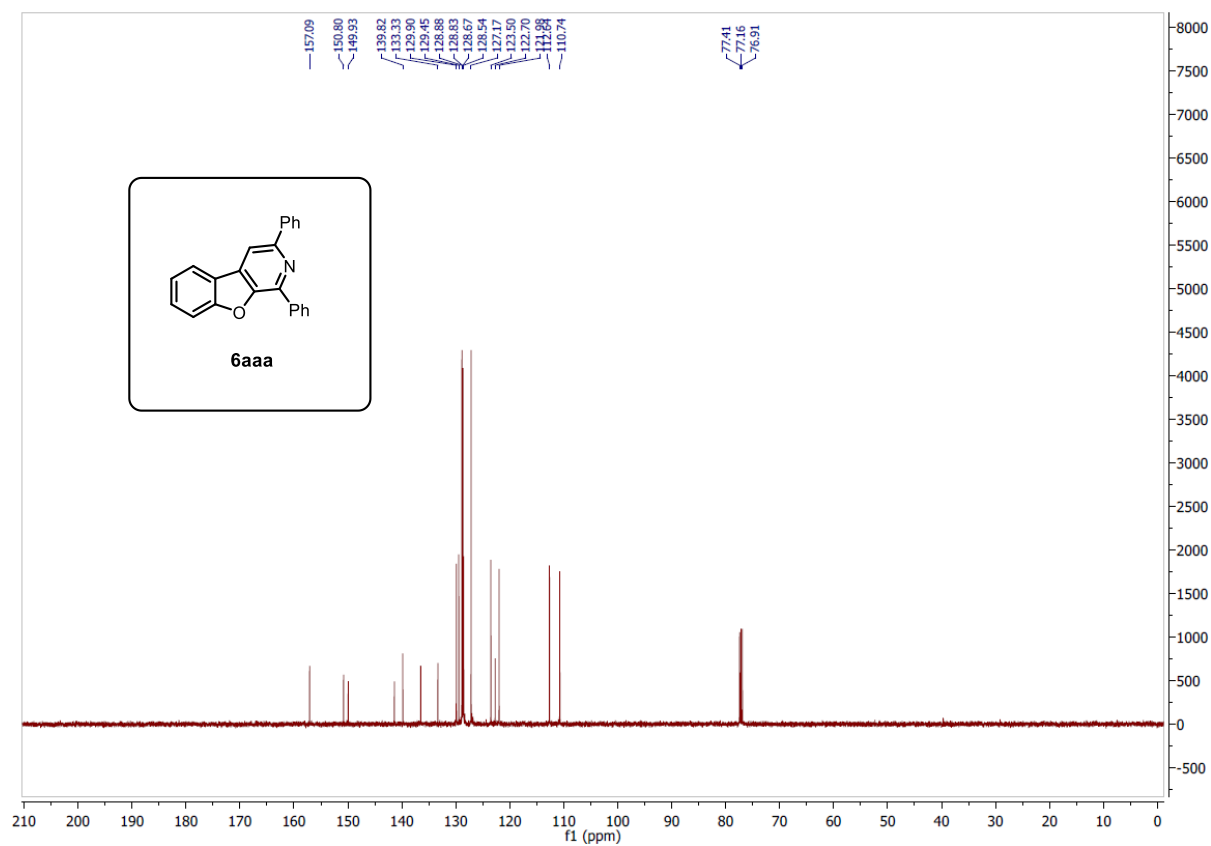
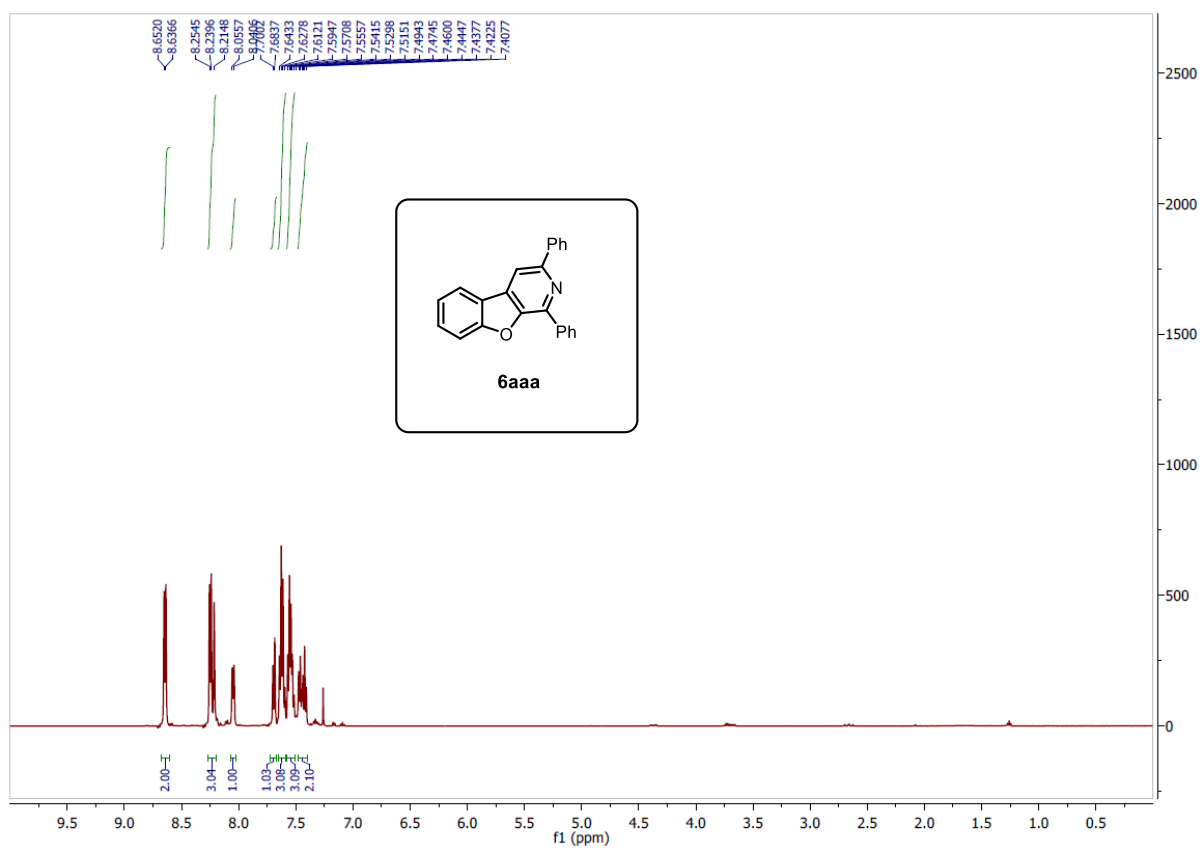
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aas**



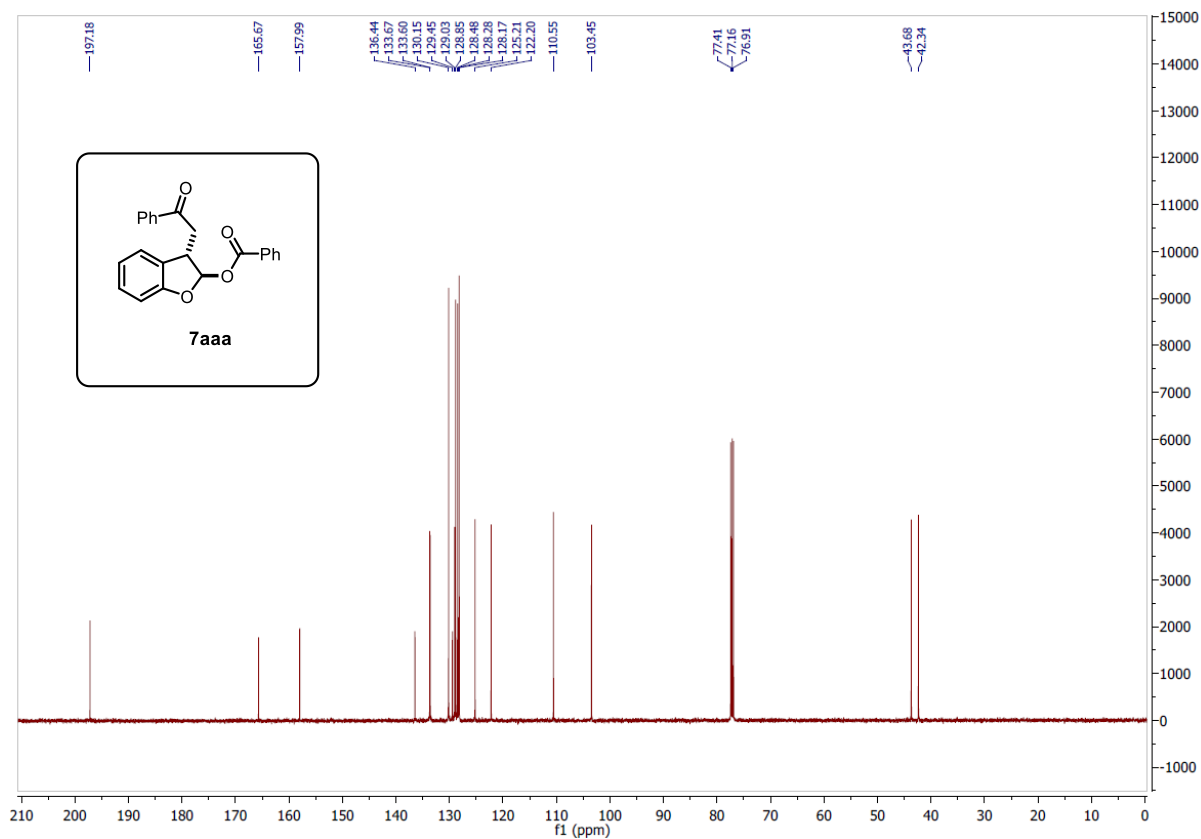
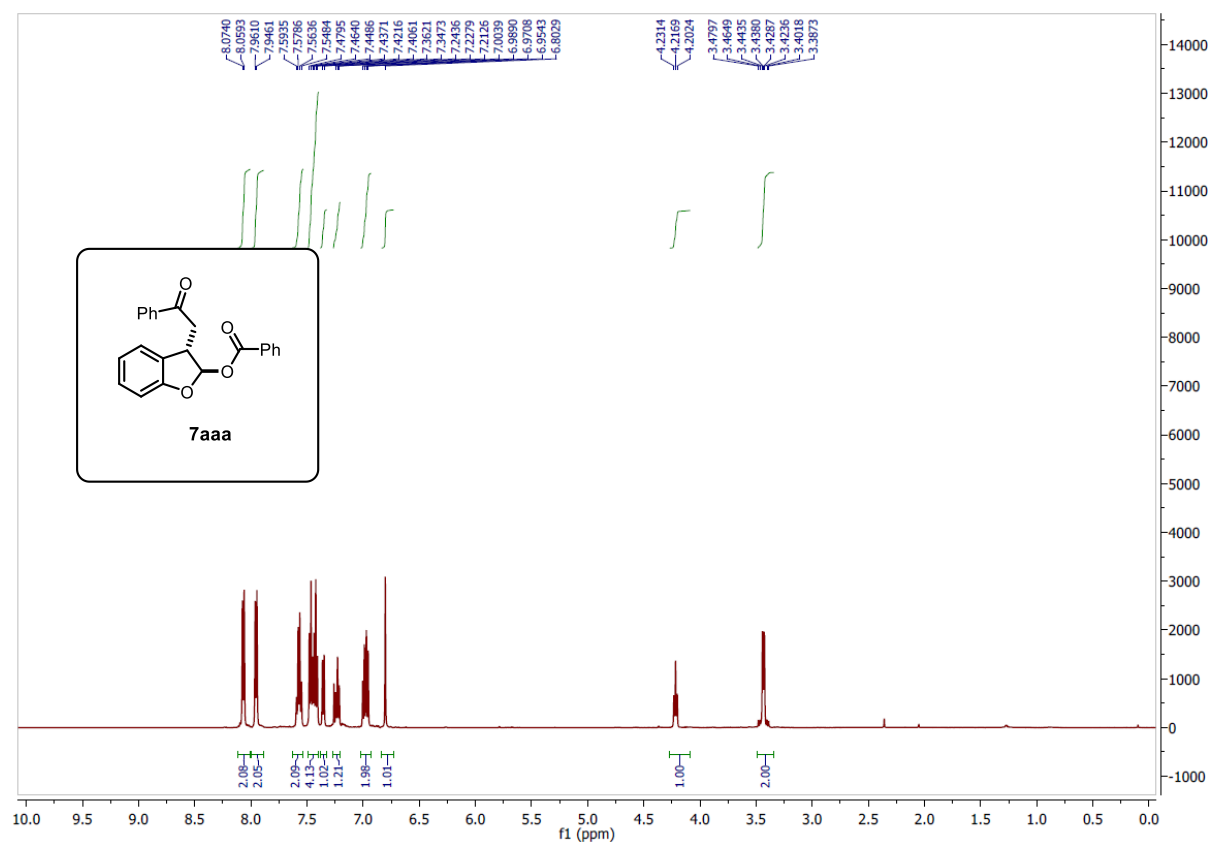
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **4aat**



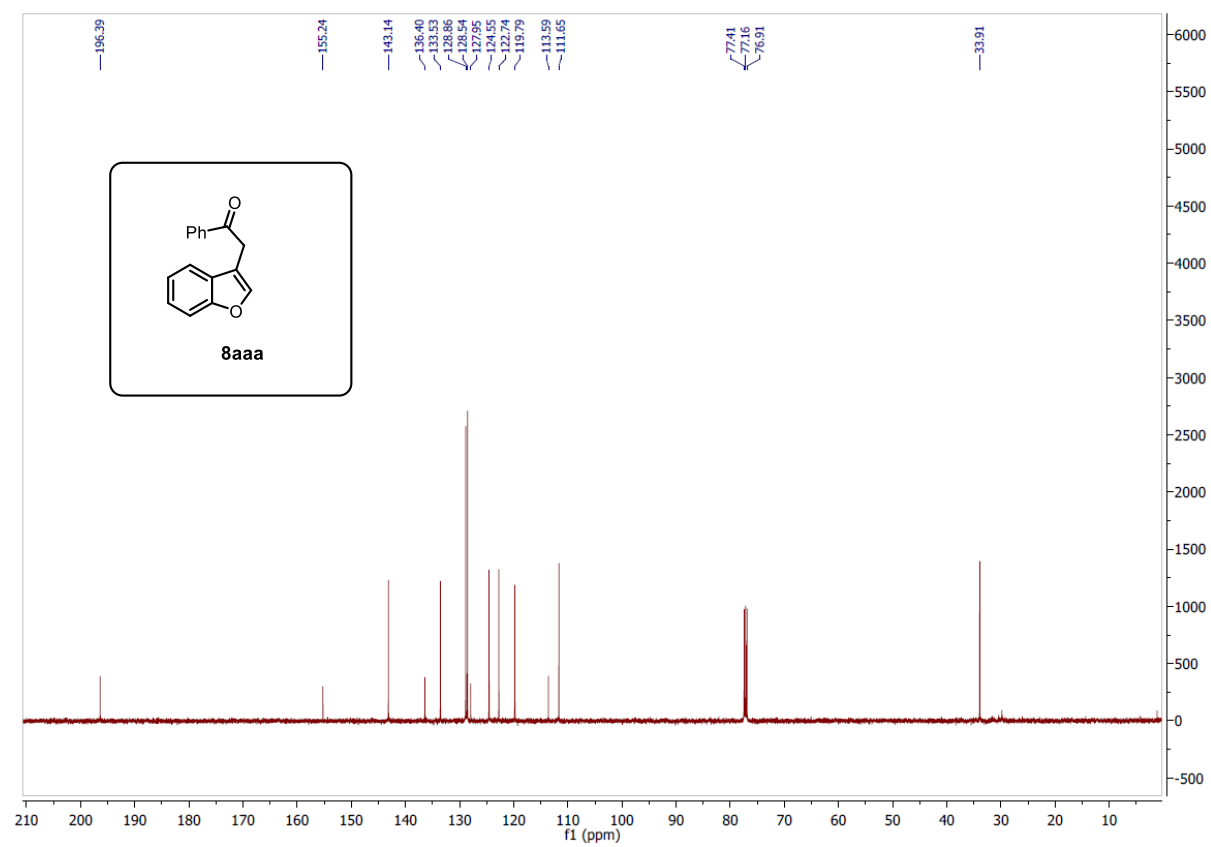
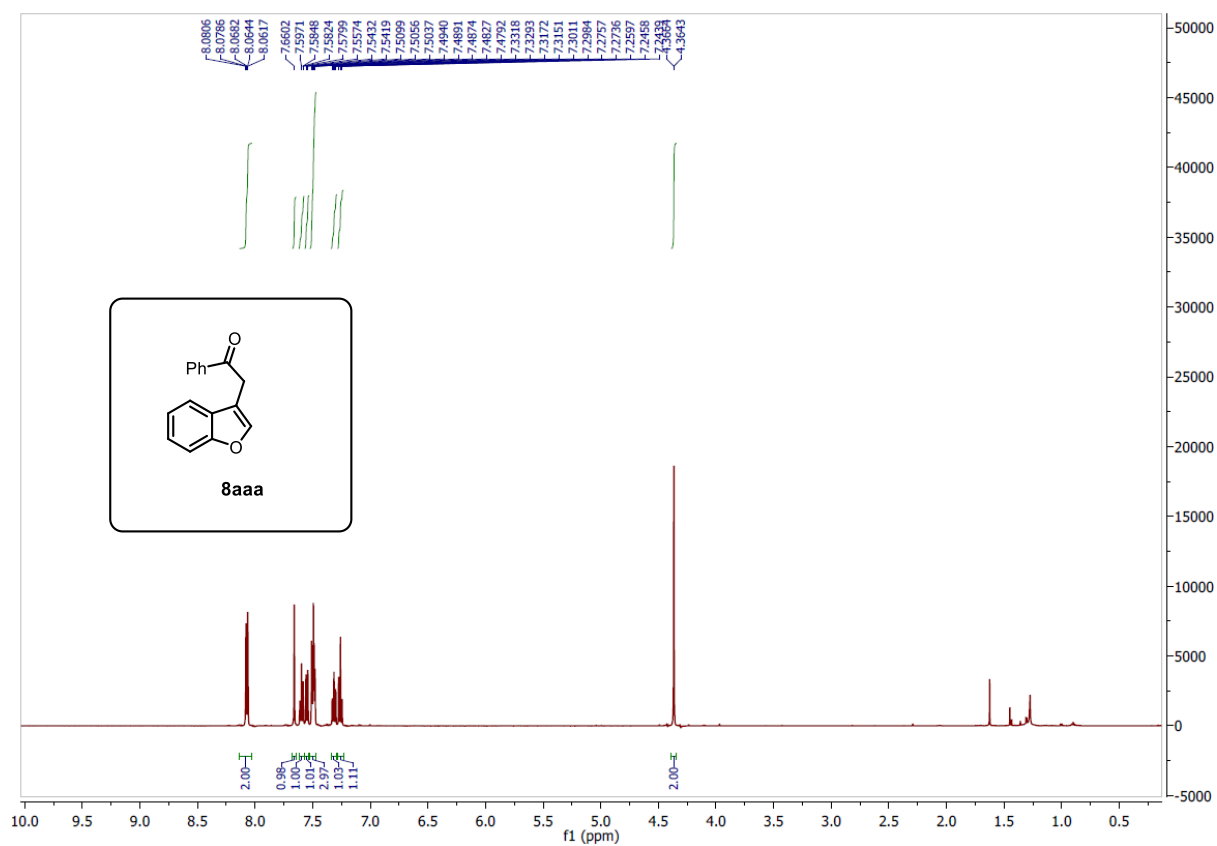
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **5aaa**



CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **6aaa**



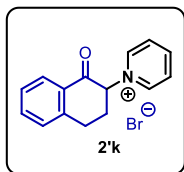
CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **7aaa**



CDCl₃, 500 MHz ¹H NMR and 125 MHz ¹³C NMR Spectra of **8aaa**

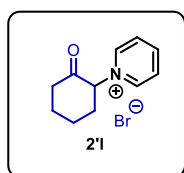
7. Analysis of secondary pyridinium salt (2'k-2'm) and their crude reaction mixture

1-(1-oxo-1,2,3,4-tetrahydronaphthalen-2-yl)pyridin-1-ium bromide (2'k): Off-white solid, Yield = 67%



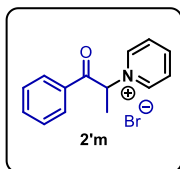
(509.5 mg); $^1\text{H NMR}$ (500 MHz, CDCl_3) δ 9.37 (d, $J = 5.8$ Hz, 2H), 8.54 (t, $J = 7.8$ Hz, 1H), 8.12 – 8.09 (m, 2H), 7.99 (d, $J = 7.8$ Hz, 1H), 7.60 (td, $J = 7.6$, 1.2 Hz, 1H), 7.48 (dd, $J = 13.8$, 4.5 Hz, 1H), 7.36 (dd, $J = 18.4$, 7.7 Hz, 2H), 3.98 – 3.91 (m, 1H), 3.20 (d, $J = 16.2$ Hz, 1H), 3.10 – 3.04 (m, 1H), 2.77 – 2.71 (m, 1H); HRMS (ES+) calc. for $\text{C}_{15}\text{H}_{14}\text{NO}^+$ $[\text{M}]^+$: 224.1070, found: 224.1065.

1-(2-oxocyclohexyl)pyridin-1-ium bromide (2'l): Off-white solid, Yield = 52% (333.0 mg); $^1\text{H NMR}$ (500



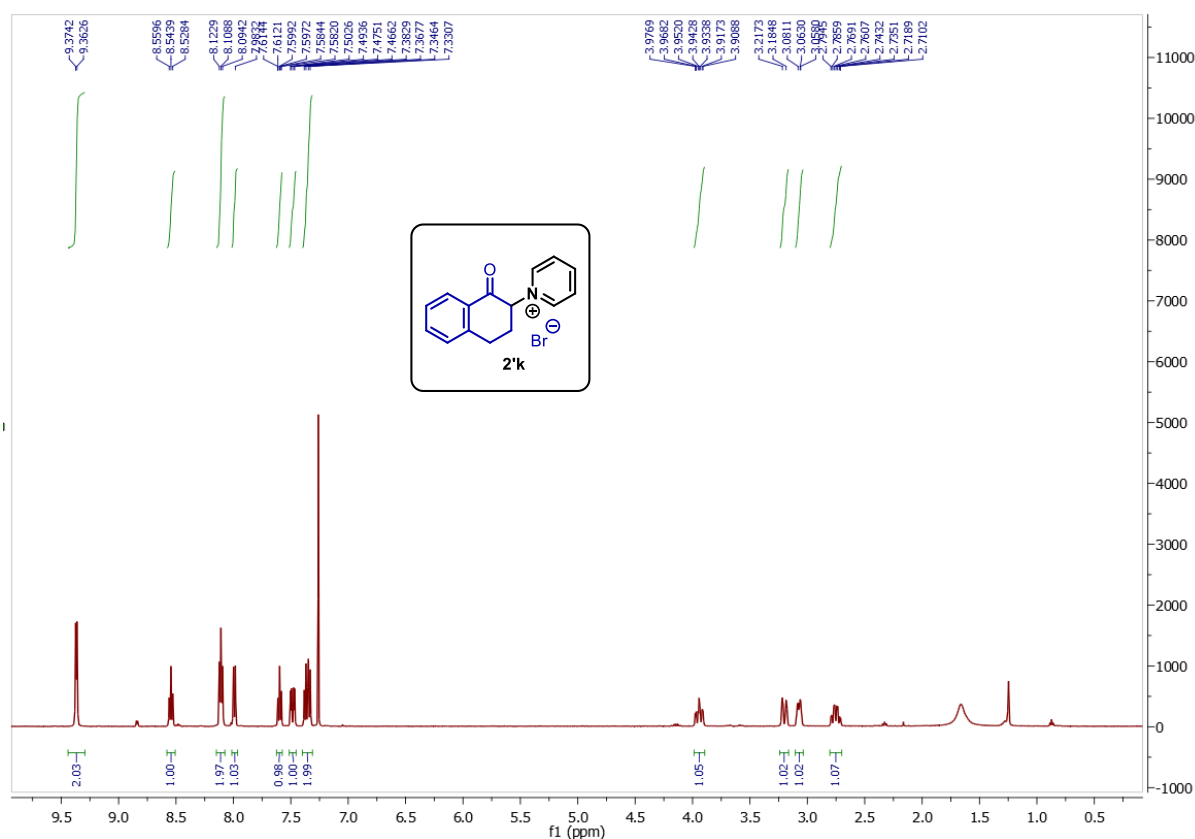
MHz, CDCl_3) δ 9.35 (d, $J = 5.6$ Hz, 2H), 8.56 (dd, $J = 15.3$, 7.6 Hz, 1H), 8.13 – 8.07 (m, 2H), 7.11 – 7.07 (m, 1H), 2.88 – 2.81 (m, 1H), 2.71 – 2.61 (m, 1H), 2.59 – 2.41 (m, 2H), 2.26 – 2.10 (m, 2H), 2.11 – 2.01 (m, 1H), 1.94 – 1.86 (m, 1H); HRMS (ES+) calc. for $\text{C}_{11}\text{H}_{14}\text{NO}^+$ $[\text{M}]^+$: 176.1070, found: 176.1076.

1-(1-oxo-1-phenylpropan-2-yl)pyridin-1-ium bromide (2'm): White solid, Yield = 70% (511.3 mg); ^1H

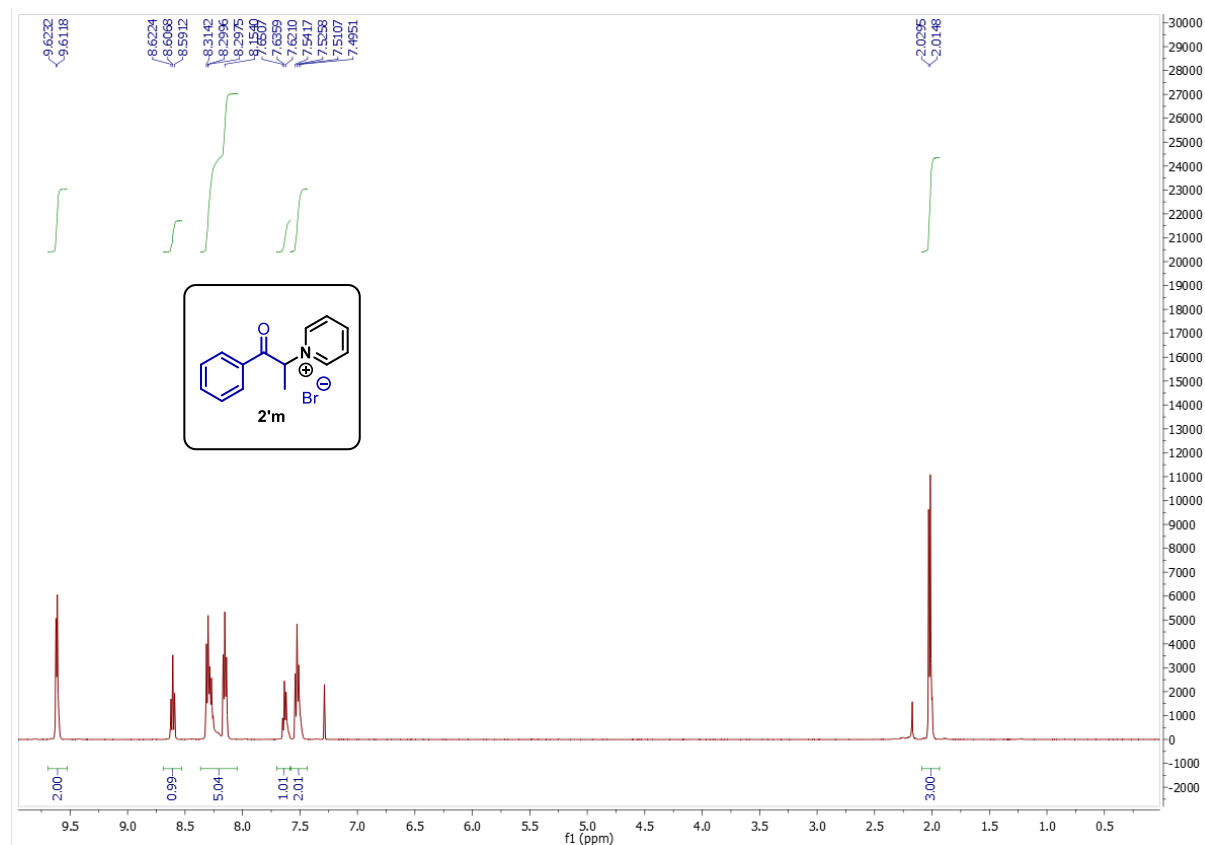
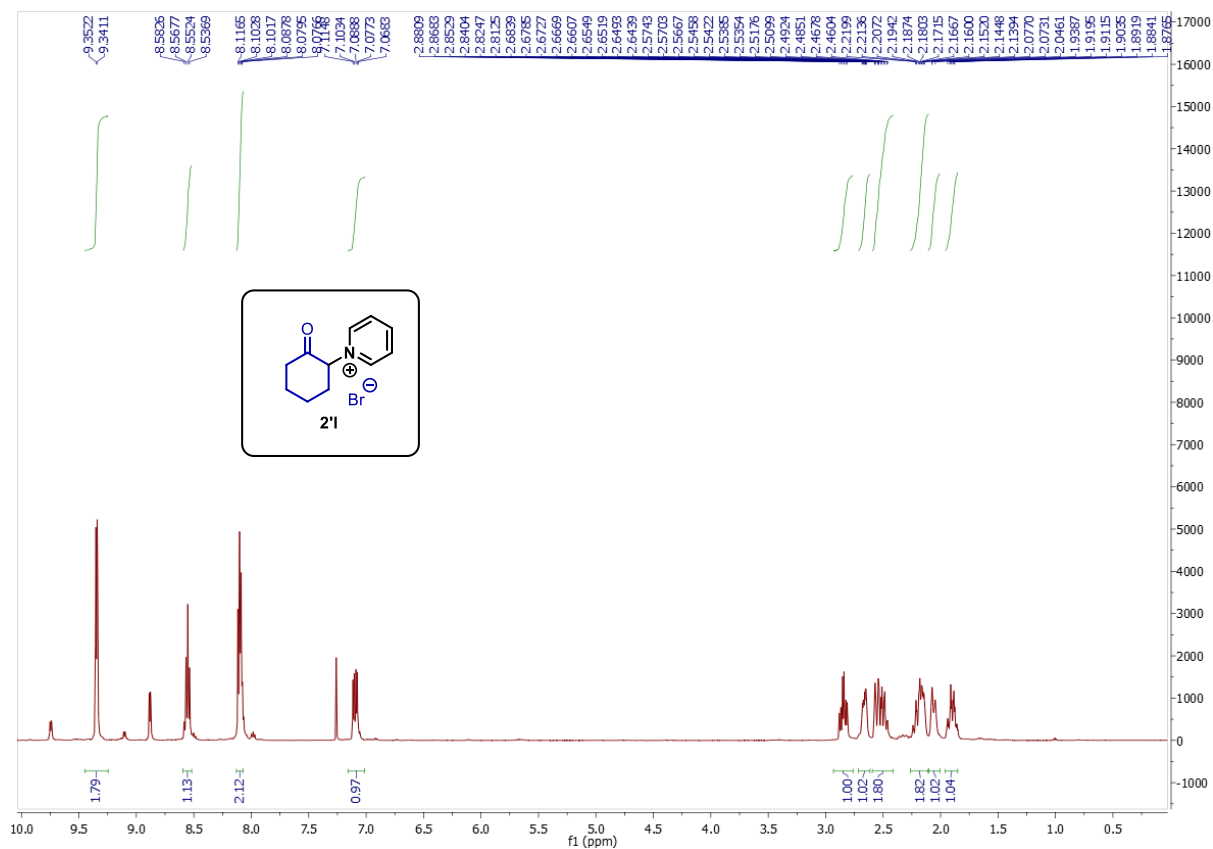


NMR (500 MHz, CDCl_3) δ 9.62 (d, $J = 5.7$ Hz, 2H), 8.61 (t, $J = 7.8$ Hz, 1H), 8.37 – 8.05 (m, 5H), 7.64 (t, $J = 7.4$ Hz, 1H), 7.54 – 7.49 (m, 2H), 2.02 (d, $J = 7.3$ Hz, 3H); HRMS (ES+) calc. for $\text{C}_{14}\text{H}_{14}\text{NO}^+$ $[\text{M}]^+$: 212.1070, found: 212.1078.

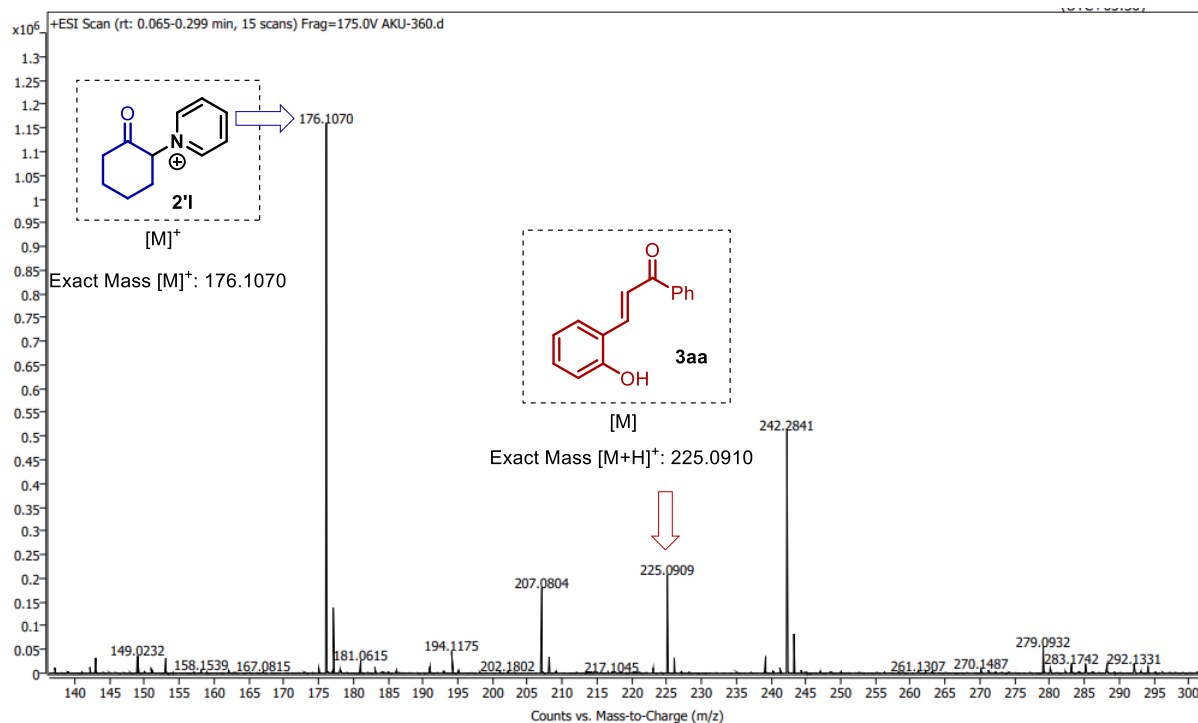
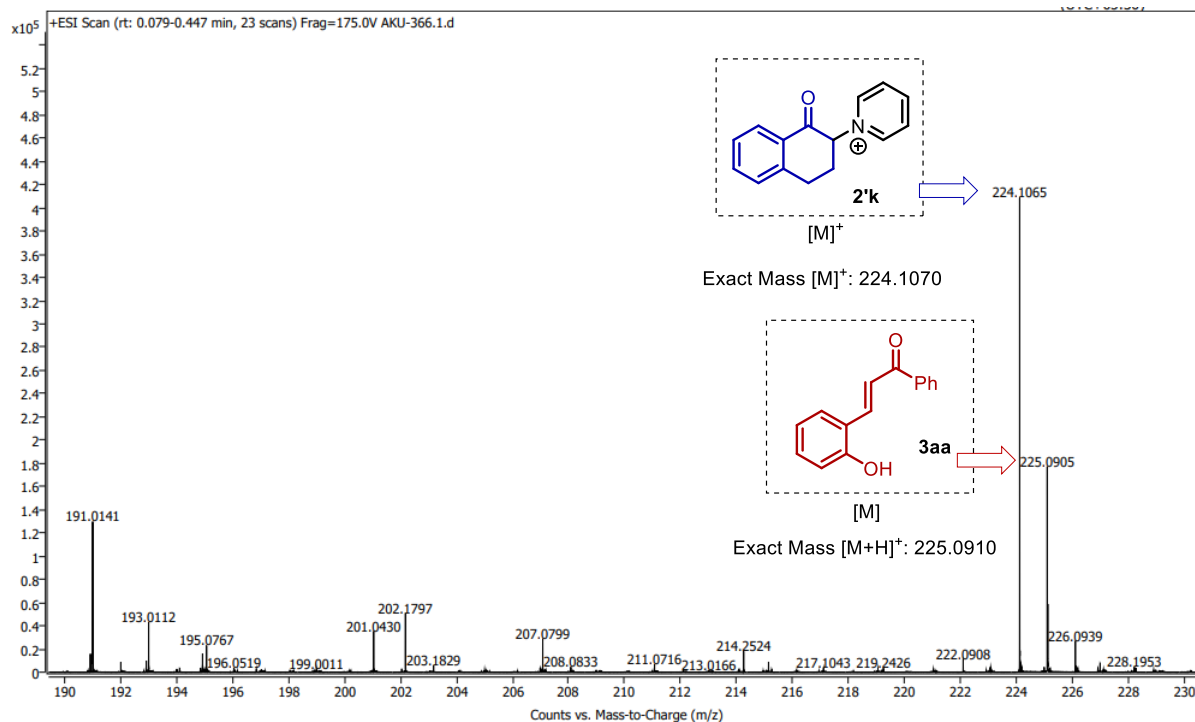
$^1\text{H NMR}$ spectra of 2'k-2'm:

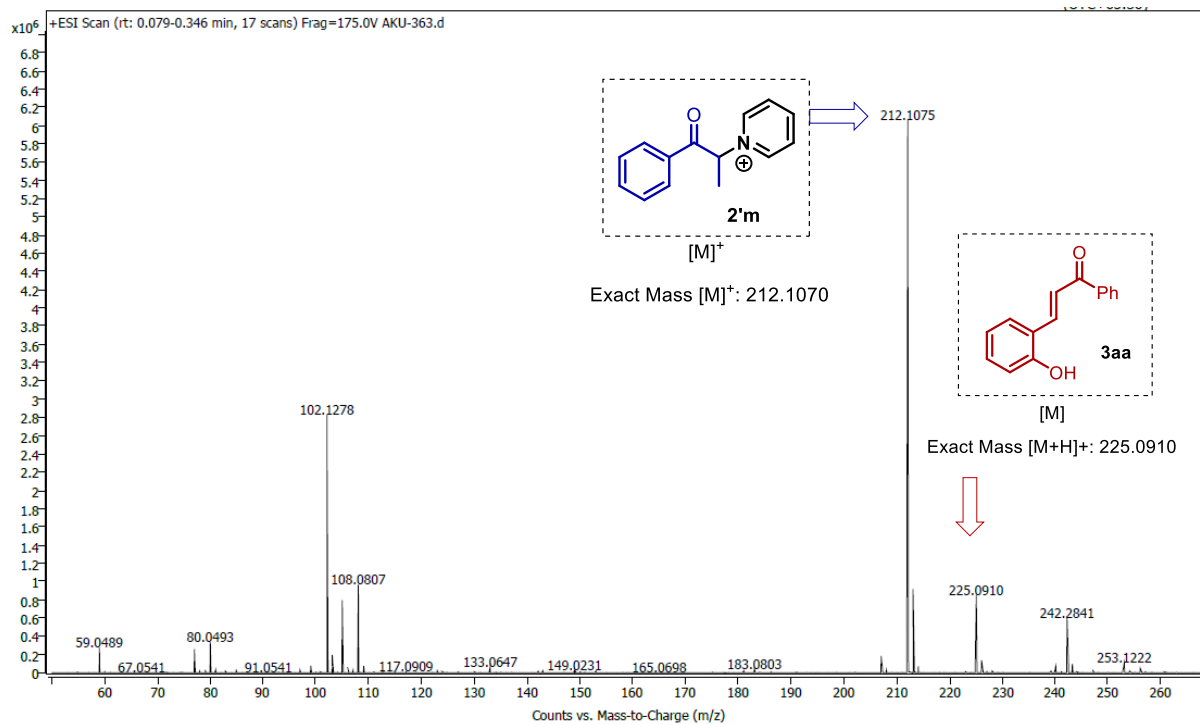


CDCl_3 , 500 MHz $^1\text{H NMR}$ Spectra of 2'k

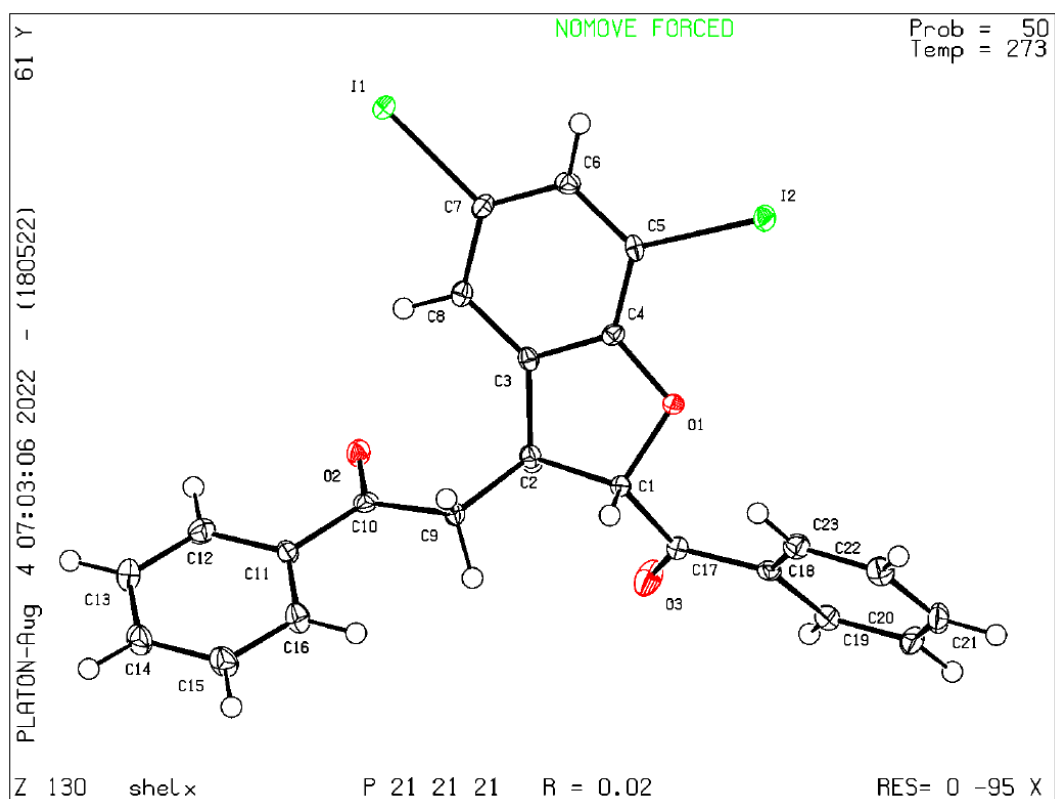


HRMS data of crude reaction mixture with 2'k-2'm:

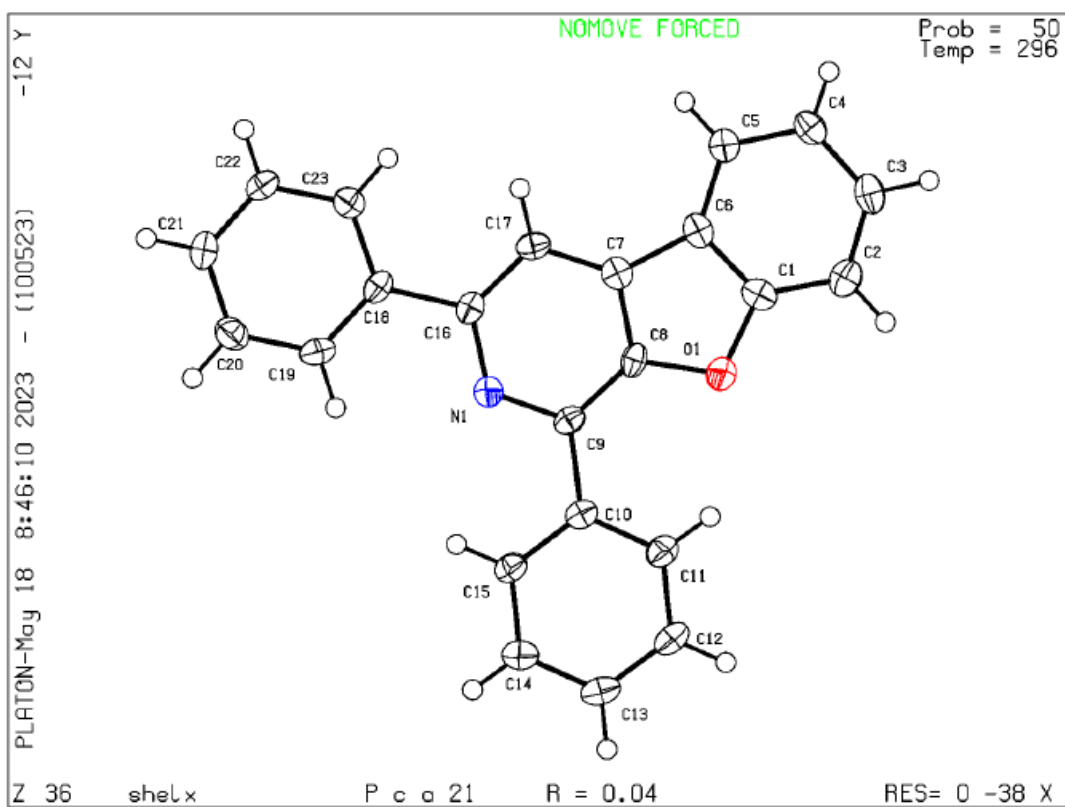




8. X-ray crystal structure of 4aka and 6aaa



ORTEP Diagram of **4aka** (CCDC 2194662)



ORTEP Diagram of **6aaa** (CCDC 2263835)

Table S4: Crystal data and structure refinement for 4aka and 6aaa.

Compound	4aka	6aaa
CCDC No	2194662	2263835
Empirical formula	C ₂₃ H ₁₆ O ₃ I ₂	C ₂₃ H ₁₅ NO
Formula weight	594.16	321.36
Temperature/K	273(2)	296(2)
Crystal system	Orthorhombic	Orthorhombic
Space group	<i>P</i> 212121	<i>Pca</i> 21
a/Å	8.1476(5)	22.3743(7)
b/Å	9.0775(6)	5.3342(2)
c/Å	27.2326(17)	13.0665(4)
α/°	90	90
β/°	90	90
γ/°	90	90
Volume/Å ³	2014.1(2)	1559.47(9)
Z	4	4
ρ _{calc} /cm ³	1.959	1.369
μ/mm ⁻¹	3.144	0.084
F(000)	1136	672
Radiation	MoKα	MoKα
Reflections collected	9488	8056
No of Relection	5026	3891
No of Parameter	254	226
Goodness-of-fit on F ²	1.056	1.048
Final R indexes [all data]	R ₁ = 0.0190, wR ₂ = 0.403	R ₁ = 0.0433, wR ₂ = 0.1050

9. References:

1. D.-J. Barrios Antúnez, M. D. Greenhalgh, C. Fallan, A. M. Z. Slawin and A. D. Smith, *Org. Biomol. Chem.*, 2016, **14**, 7268-7274.
2. A. Jain, A. Regina, A. Kumari, R. Patra, M. Paranjothy and N. K. Rana, *Org. Lett.* 2023, DOI: 10.1021/acs.orglett.3c01295