Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Employing Carboxylic Acids in Aryne Multicomponent Coupling Triggered by Aziridines/Azetidines

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Supporting Information

1. General Information

Unless otherwise specified, all reactions were carried out under an atmosphere of argon in flame-dried reaction vessels with Teflon screw caps. 30 °C corresponds to the room temperature of the lab when the experiments were carried out. THF was freshly purified by distillation over Na-benzophenone and was transferred under argon. 18-Crown-6 was recrystallized from dry CH_3CN, and KF was dried by heating at 110 °C for 12 h and left to cool under argon and stored in an argon filled glove box. The acids and phenols were purchased from either Sigma Aldrich, Acros Organics or from other commercial sources and used as received without any further purification. All the aziridines and azetidine derivatives used in this study were prepared following the literature procedure.[1] The 2(trimethylsilyl)phenyl trifluoromethanesulfonate **2a** and the other symmetrical and unsymmetrical aryne precursors were synthesized following literature procedure.[2]

Analytical thin layer chromatography was performed on TLC Silica gel 60 F_{254}. Visualization was accomplished with short wave UV light. Chromatography was performed on silica gel (230-400 mesh) by standard techniques eluting with solvents as indicated.

All compounds were fully characterized. ^{1}H and ^{13}C NMR spectra were recorded on Bruker AV 400, 500 in solvents as indicated. Chemical shifts (δ) are given in ppm. The residual solvent signals were used as references and the chemical shifts converted to the TMS scale ($CDCl_3$: δH = 7.26 ppm, δC = 77.16 ppm). Infrared spectra were recorded on a Bruker Alpha-E Infrared Spectrophotometer as thin films using NaCl plates. The wave numbers (n) of recorded IR-signals are quoted in cm^{-1}. HRMS data were recorded on Thermo Scientific Q-Exactive, Accela 1250 pump. X-ray intensity data measurements were carried out on a Super Nova Dual source X-ray Diffractometer system (Agilent Technologies) with graphite monochromatized (Mo Kα= 0.71073Å) radiation. HPLC analysis was performed on Shimadzu Class-VP V6.12 SP5 with UV detector.

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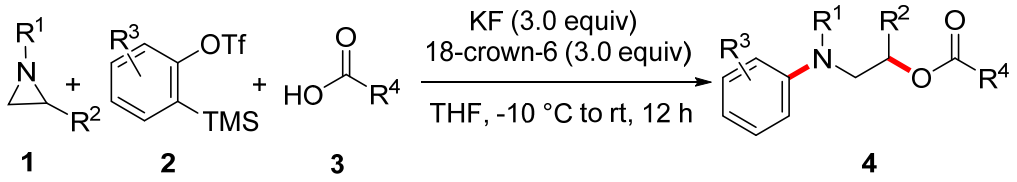
[1] (*a*) X. Dou, *Synlett,* 2010, 2159. (*b*) B. Drouillat, E. d'Aboville, F. Bourdreux and F. Couty, *Eur. J. Org. Chem.,* 2014, 1103

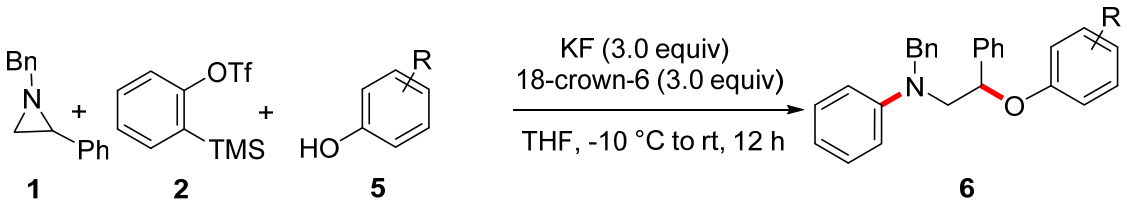
[2] (*a*) Y. Sato, T. Tamura, A. Kinbara, and M. Morib, *Adv. Synth. Catal.,* 2007, **349**, 647; (*b*) D. Peña, A. Cobas, D. Pérez, and E. Guitián, *Synthesis,* 2002, 1454.

2. General Procedure for the MCC Involving Aziridines, Arynes and Carboxylic Acids



To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added the KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) inside a glove box. Carboxylic acid **3** (0.75 mmol) was added outside the glove box under argon atmosphere. The mixture was dissolved in THF (2.0 mL) under argon atmosphere and continued stirring for five minutes at 30 °C. After five minutes of stirring, aziridine **1** (0.5 mmol) was added. Then the reaction mixture was cooled to -10 °C and kept stirring for five minutes. To the stirring solution, aryne precursor **2** (0.75 mmol) was added. Then the reaction mixture was slowly warmed to rt and kept stirring for 12 h. After 12 h the reaction was stopped, the solvent was evaporated and the crude residue pre-adsorbed on silica gel and purified by flash column chromatography (Pet. ether /EtOAc = 98/02) on silica gel to afford the corresponding *N*-aryl β-amino alcohol derivatives **4** in moderate to good yields.

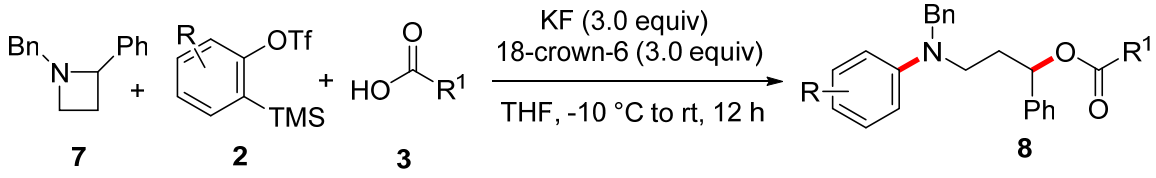
3. General Procedure for the MCR Involving Aziridines, Arynes and Phenols



To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added the KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) inside a glove box. Phenol **5** (0.75 mmol) was added outside the glove box under argon atmosphere. The mixture was dissolved in THF (2.0 mL) under argon atmosphere and continued stirring for five minutes at 30 °C. After

five minutes of stirring, aziridine **1** (0.5 mmol) was added. Then the reaction mixture was cooled to -10 °C and kept stirring for five minutes. To the stirring solution aryne precursor **2** (0.75 mmol) was added. Then the reaction mixture was slowly warmed to rt and kept stirring for 12 h. After 12 h the reaction was stopped, the solvent was evaporated and the crude residue pre-adsorbed on silica gel and purified by flash column chromatography (Pet. ether /EtOAc = 98/02) on silica gel to afford the corresponding *N*-aryl β-amino alcohol derivatives **6** in moderate to good yields.

4. General Procedure for the MCC Involving Azetidines, Arynes and Carboxylic Acids



7 **2** **3** **8**

To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added the KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) inside a glove box. Carboxylic acid/Phenol **3/5** (0.75 mmol) was added outside the glove box under argon atmosphere. The mixture was dissolved in THF (2.0 mL) under argon atmosphere and continued stirring for five minutes at 30 °C. After five minutes of stirring, azetidine **7** (0.5 mmol) was added. Then the reaction mixture was cooled to -10 °C and kept stirring for five minutes. To the stirring solution, aryne precursor **2** (0.75 mmol) was added. Then the reaction mixture was slowly warmed to rt and kept stirring for 12 h. After 12 h the reaction was stopped, the solvent was evaporated and the crude residue pre-adsorbed on silica gel and purified by flash column chromatography (Pet. ether /EtOAc = 98/02) on silica gel to afford the corresponding *N*-aryl γ-amino alcohol derivatives **8** in moderate to good yields.

5. Competition Experiments

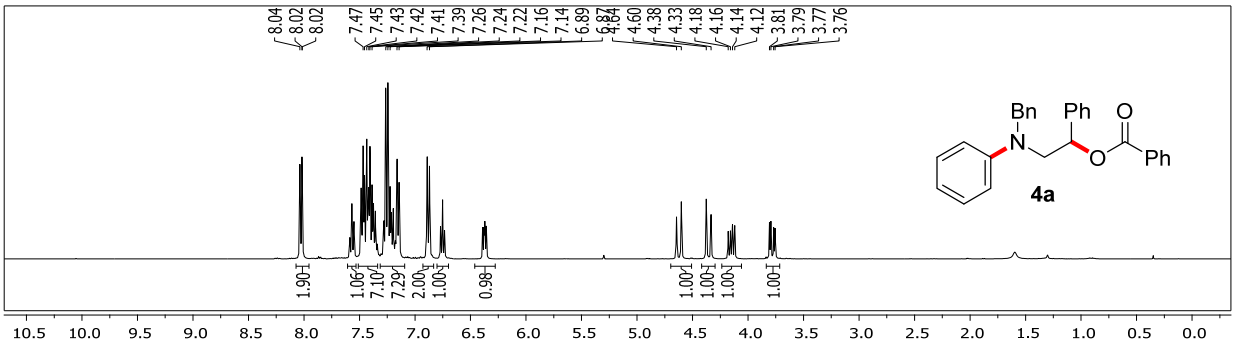
Experiment 1: Reaction quenched after 30 minutes

To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added the KF (0.044 g, 0.75 mmol) and 18-crown-6 (0.198 g, 0.75 mmol) inside a glove box. Benzoic acid **3a** (0.023 g, 0.188 mmol) and acetic acid **3n** (0.011 g, 11 μL, 0.188 mmol) were added outside the glove box under argon atmosphere. The mixture was dissolved in THF (1.0 mL) under argon atmosphere and continued stirring for five minutes at 30 °C. After five minutes of stirring, 1-benzyl-2-phenylaziridine **1a** (0.053 g, 0.25 mmol) was added. Then the reaction mixture was cooled to -10 °C and kept stirring for five minutes. To the stirring solution 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.112 g, 91 μL, 0.375 mmol) was added. Then the reaction mixture was slowly warmed to rt and kept stirring for 30 min. Then the reaction mixture was diluted with CH_2Cl_2 (2.0 mL) and filtered through a short pad of silica gel and eluted with CH_2Cl_2 (10.0 mL). The solvent was evaporated to obtain the crude products whose yield was determined by ^{1}H NMR analysis using CH_2Br_2 (18.0 μL, 0.25 mmol) as the internal standard.

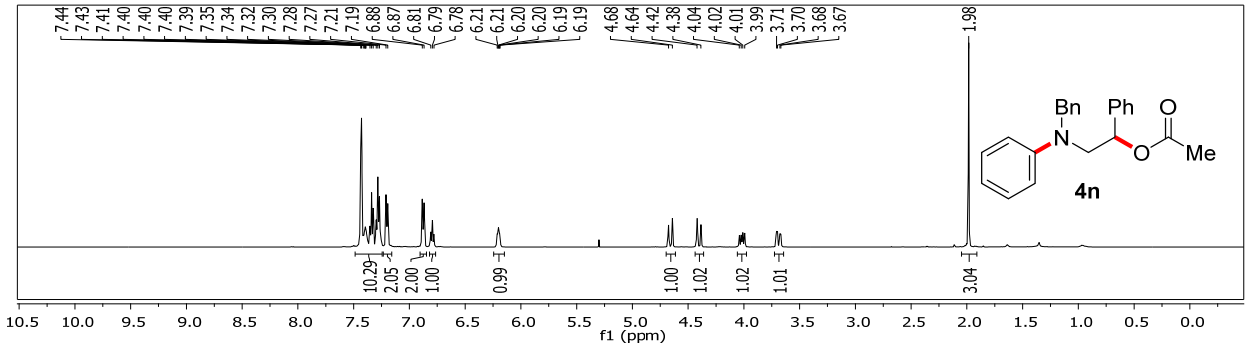
Experiment 2: Reaction quenched after 60 minutes

To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added the KF (44 mg, 0.75 mmol) and 18-crown-6 (198 mg, 0.75 mmol) inside a glove box. Benzoic acid **3a** (23 mg, 0.188 mmol) and acetic acid **3n** (11 mg, 11 μL, 0.188 mmol) were added outside the glove box under argon atmosphere. The mixture was dissolved in THF (1.0 mL) under argon atmosphere and continued stirring for five minutes at 30 °C. After five minutes of stirring, 1-benzyl-2-phenylaziridine **1a** (0.053 g, 0.25 mmol) was added. Then the reaction mixture was cooled to -10 °C and kept stirring for five minutes. To the stirring solution 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.112 g, 91 μL, 0.375 mmol) was added. Then the reaction mixture was slowly warmed to rt and kept stirring for 60 min. Then the reaction mixture was diluted with CH_2Cl_2 (2.0 mL) and filtered through a short pad of silica gel and eluted with CH_2Cl_2 (10.0 mL). The solvent was evaporated to obtain the crude products whose yield was determined by ^{1}H NMR analysis using CH_2Br_2 (18.0 μL, 0.25 mmol) as the internal standard.

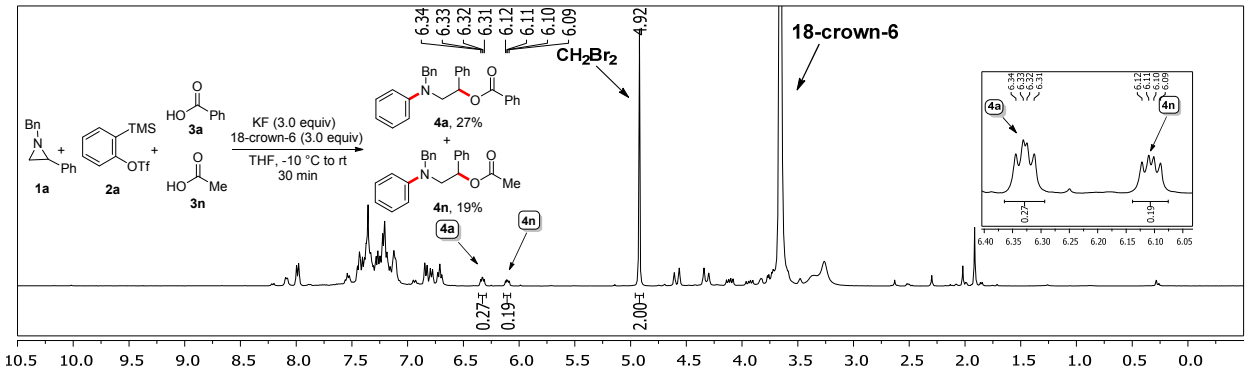
^{1}H NMR (400 MHz) Spectra of 2-(benzyl(phenyl) amino)-1-phenylethyl benzoate (4a)



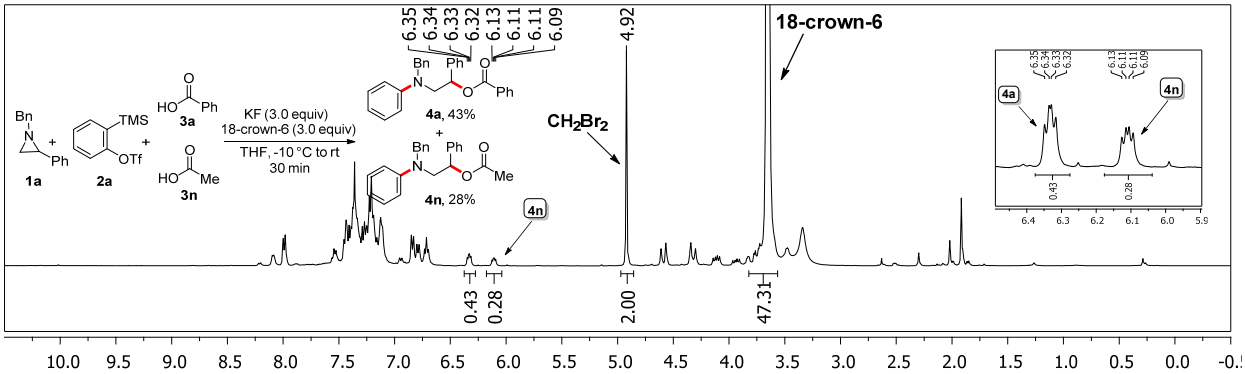
^{1}H NMR (400 MHz) Spectra of 2-(benzyl(phenyl)amino)-1-phenylethyl acetate (4n)



^{1}H-NMR (400 MHz) of Reaction Mixture after 30 minutes



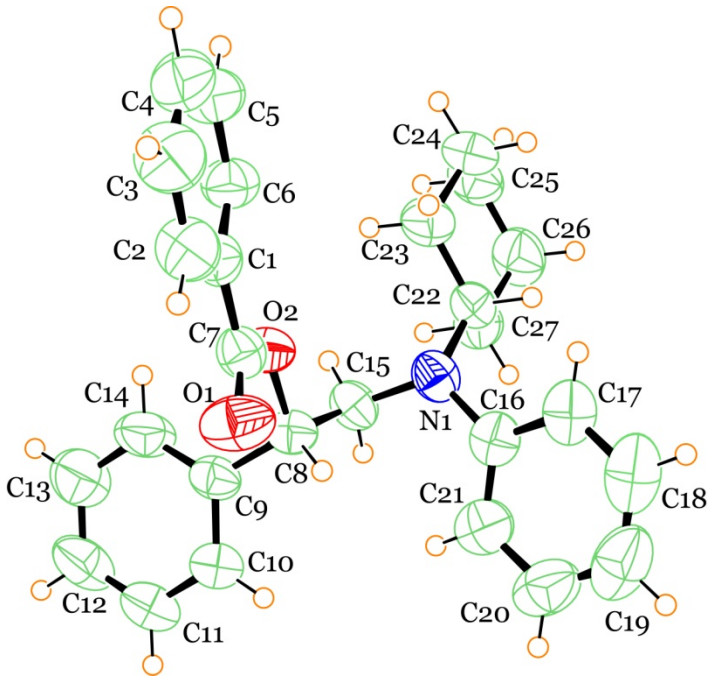
^{1}H-NMR (400 MHz) of Reaction Mixture after 60 minutes



6. X-ray data of 4s

Table 1 Crystal data and structure refinement for Compound 4s

Identification code	**4s**
Empirical formula	$C_{27}H_{29}NO_2$
Formula weight	399.51
Temperature/K	298
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	15.5737(5)
b/Å	12.7774(4)
c/Å	11.4615(3)
α/°	90.00
β/°	91.399(3)
γ/°	90.00
Volume/Å^3	2280.08(12)
Z	4
ρ_{calc}mg/mm^3	1.164
m/mm^{-1}	0.072
F(000)	856.0
Crystal size/mm^3	0.4 × 0.2 × 0.2
2Θ range for data collection	6.38 to 58.02°
Index ranges	$-21 \le h \le 11$, $-4 \le k \le 16$, $-11 \le l \le 15$
Reflections collected	10221
Independent reflections	5313[R(int) = 0.0280]
Data/restraints/parameters	5313/0/271
Goodness-of-fit on F^2	1.095
Final R indexes [I>=2σ (I)]	R_1 = 0.0678, wR_2 = 0.1884
Final R indexes [all data]	R_1 = 0.1180, wR_2 = 0.2219
Largest diff. peak/hole / e Å^{-3}	0.34/-0.15

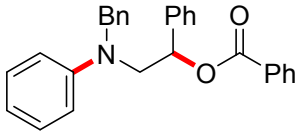


Crystal structure of **4s** (thermal ellipsoids are shown with 50% probability).

CCDC-1050176 (**4s**) contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

7. Synthesis and Characterization of *N*-Aryl β/γ-Amino Alcohol Derivatives

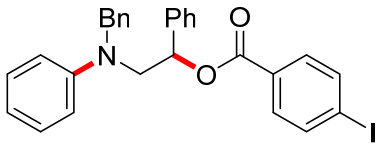
2-(Benzyl(phenyl)amino)-1-phenylethyl benzoate (4a)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl) amino)-1-phenylethyl benzoate as a colourless oil **4a** (0.170 g, 83% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.53; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.03 (d, *J* = 7.2 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.48-7.34 (m, 7H), 7.28-7.18 (m, 5H), 7.15 (d, *J* = 7.2 Hz, 2H), 6.88 (d, *J* = 8.3 Hz, 2H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.39-6.36 (m, 1H), 4.62 (d, *J* = 17.2 Hz, 1H), 4.35 (d, *J* = 17.3 Hz, 1H), 4.15 (dd, J_1 = 8.1 Hz, J_2 = 15.4 Hz, 1H), 3.78 (dd, J_1 = 5.2 Hz, J_2 = 15.3 Hz, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.9, 148.4, 138.9, 138.4, 133.1, 130.2, 129.8, 129.4, 128.8, 128.7, 128.5, 128.5, 126.9, 126.7, 126.6, 117.1, 112.8, 74.6, 56.8, 54.7. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{26}O_2N$: 408.1958, found: 408.1957. **FTIR (cm^{-1})** 3022, 2929, 2358, 1718, 1598, 1503, 1451, 1359, 1311, 1268, 1217, 1108, 995, 767.

2-(Benzyl(phenyl)amino)-1-phenylethyl 4-iodobenzoate (4b)

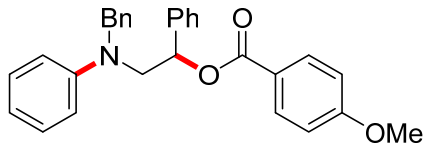


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 4-iodobenzoic acid **3b** (0.186 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl) amino)-1-phenylethyl 4-iodobenzoate as a colourless oil **4b** (0.200 g, 75% yield).

***R R*f** (Pet. ether /EtOAc = 95/05): 0.54; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.80 (d, *J* = 8.3 Hz, 2H), 7.72 (d, *J* = 8.3 Hz, 2H), 7.50-7.48 (m, 2H), 7.45-7.38 (m, 3H), 7.31-7.26 (m, 4H), 7.24-7.18 (m, 3H), 6.92 (d, *J* = 8.3 Hz, 2H), 6.80 (t, *J* = 7.3 Hz, 1H), 6.39 (dd, J_1 = 4.9 Hz, J_2 = 8.2 Hz, 1H),

4.66 (d, J = 17.2 Hz, 1H), 4.41 (d, J = 17.2 Hz, 1H), 4.18 (dd, J_1 = 8.3 Hz, J_2 = 15.4 Hz, 1H), 3.81 (dd, J_1 = 4.9 Hz, J_2 = 15.4 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.4, 148.2, 138.5, 138.1, 137.7, 131.2, 129.6, 129.4, 128.9, 128.7, 128.6, 127.0, 126.7 126.5, 117.4, 113.0, 101.0, 74.8, 56.7, 54.8. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{25}O_2NI$: 534.0924, found: 534.0927. **FTIR (cm^{-1})**3021, 2358, 1720, 1593, 1499, 1386, 1358, 1269, 1219, 1105, 1007, 761.

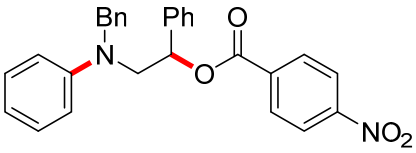
2-(Benzyl(phenyl)amino)-1-phenylethyl 4-methoxybenzoate (4c)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 4-methoxybenzoic acid **3c** (0.114 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 4-methoxybenzoate as a colourless oil **4c** (0.162 g, 74% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.44; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.96 (d, J = 8.8 Hz, 2H), 7.44 (d, J = 7.1 Hz, 2H), 7.41 – 7.31 (m, 3H), 7.22 (m, 5H), 7.13 (d, J = 7.3 Hz, 2H), 6.88 (dd, J_1 = 22.2, J_2 = 8.5 Hz, 4H), 6.73 (t, J = 7.3 Hz, 1H), 6.32 (dd, J_1 = 5.3 Hz, J_2 = 7.9 Hz, 1H), 4.59 (d, J = 17.3 Hz, 1H), 4.31 (d, J = 17.3 Hz, 1H), 4.11 (dd, J_1 = 8.0 Hz, J_2 = 15.3 Hz, 1H), 3.86 (s, 3H), 3.74 (dd, J_1 = 5.3 Hz, J_2 = 15.3 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.6, 163.6, 148.4, 139.1, 138.4, 131.9, 129.4, 128.8, 128.7, 128.4, 126.9, 126.7, 126.6, 122.6, 117.1, 113.7, 112.8, 74.2, 56.8, 55.6, 54.7. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{29}H_{28}O_3N$: 438.2064, found: 438.2061. **FTIR (cm^{-1})** 3022, 2358, 1711, 1603, 1507, 1262, 1217, 1106, 1031, 766.

2-(Benzyl(phenyl)amino)-1-phenylethyl 4-nitrobenzoate (4d)

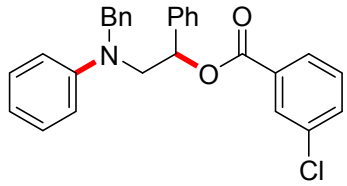


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 4-nitrobenzoic acid **3d** (0.125 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in

THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 4-nitrobenzoate as yellow oil **4d** (0.192 g, 85% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.37; **^{1}H NMR (500 MHz, CDCl$_3$)** δ 8.22 (d, *J* = 8.8 Hz, 2H), 8.06 (d, *J* = 8.8 Hz, 2H), 7.47 – 7.37 (m, 5H), 7.26 – 7.22 (m, 4H), 7.17 (t, *J* = 7.2 Hz, 3H), 6.88 (d, *J* = 8.2 Hz, 2H), 6.76 (t, *J* = 7.3 Hz, 1H), 6.38 (dd, J_1 = 4.6, J_2 = 8.5 Hz, 1H), 4.65 (d, *J* = 17.1 Hz, 1H), 4.41 (d, *J* = 17.1 Hz, 1H), 4.17 (dd, J_1 = 8.6 Hz, J_2 = 15.5Hz, 1H), 3.80 (dd, J_1 = 4.6, J_2 = 15.5Hz, 1H). **^{13}C NMR (125 MHz, CDCl$_3$)** δ 164.1, 150.6, 148.4, 138.2, 138.1, 135.5, 130.8, 129.5, 129.0, 128.8, 128.7, 127.0, 126.7, 126.6, 123.5, 117.5, 113.0, 75.7, 56.7, 54.9. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{25}O_4N_2$: 453.1809, found: 453.1812. **FTIR (cm^{-1})** 3023, 2358, 1726, 1599, 1520, 1451, 1351, 1271, 1217, 1108, 1025, 762.

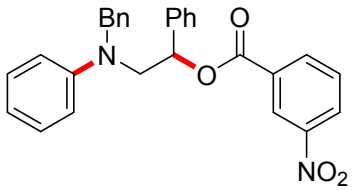
2-(Benzyl(phenyl)amino)-1-phenylethyl 3-chlorobenzoate (4e)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 3-chlorobenzoic acid **3e** (0.117 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 3-chlorobenzoate as a colourless oil **4e** (0.176 g, 80% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.46; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.96 (d, *J* = 1.5 Hz, 1H), 7.88 (d, *J* = 7.8 Hz, 1H), 7.52-7.36 (m, 7H), 7.29-7.16 (m, 7H), 6.89 (d, *J* = 8.3 Hz, 2H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.38-6.35 (m, 1H), 4.65 (d, *J* = 17.3 Hz, 1H), 4.40 (d, *J* = 17.3 Hz, 1H), 4.16 (dd, J_1 = 8.4 Hz, J_2 = 7.2 Hz, 1H), 3.80 (dd, J_1 = 4.7 Hz, J_2 = 10.7 Hz, 1H), **^{13}C NMR (100 MHz, CDCl$_3$)** δ 164.7, 148.3, 138.5, 138.3, 134.6, 133.1, 131.8, 129.8, 129.7, 129.5, 128.9, 128.7, 128.6, 127.9, 126.9, 126.6, 126.6, 117.3, 112.8, 75.1, 56.7, 54.7. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{24}O_2NClNa$: 464.1388, found: 464.1389. **FTIR (cm^{-1})** 3429, 3066, 3021, 1723, 1599, 1576, 1505, 1453, 1217, 1127, 757, 698.

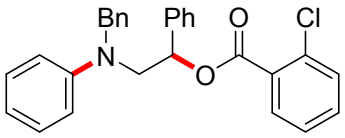
2-(Benzyl(phenyl)amino)-1-phenylethyl 3-nitrobenzoate (4f)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl) phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 3-nitrobenzoic acid **3f** (0.125 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 3-nitrobenzoate as yellow oil **4f** (0.174 g, 77% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.40; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.74 (s, 1H), 8.38 (d, J = 8.1 Hz, 1H), 8.23 (d, J = 7.7 Hz, 1H), 7.58 (t, J = 8.0 Hz, 1H), 7.49 (d, J = 7.5 Hz, 2H), 7.45-7.37 (m, 3H), 7.26-7.21 (m, 4H), 7.18- 7.12 (m, 3H), 6.88 (d, J = 8.2 Hz, 2H), 6.74 (t, J = 7.2 Hz, 1H), 6.40 (dd, J_1 = 4.2, J_2 = 8.6 Hz, 1H), 4.70 (d, J = 17.1 Hz, 1H), 4.47 (d, J = 17.1 Hz, 1H), 4.18 (dd, J_1 = 8.7 Hz, J_2 = 15.5 Hz, 1H), 3.83 (dd, J_1 = 4.2, J_2 = 15.5 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 163.8, 148.3, 138.2, 138.1, 135.4, 131.8, 129.6, 129.5, 129.0, 128.8, 128.6, 127.4, 126.9, 126.7, 126.6, 124.6, 117.4, 112.9, 75.8, 56.8, 54.9. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{28}H_{24}N_2O_4Na$: 475.1628, found: 475.1629. **FTIR (cm^{-1})** 3075, 3025, 2931, 2357, 1727, 1602, 1535, 1497, 1449, 1352, 1296, 1257, 1222, 1134, 1078, 1029, 993, 960, 759.

2-(Benzyl(phenyl)amino)-1-phenylethyl 2-chlorobenzoate (4g)

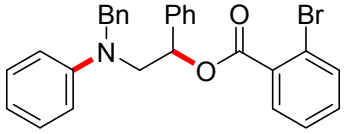


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl) phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 2-chlorobenzoic acid **3g** (0.117 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 2-chlor obenzoate as a colourless oil **4g** (0.159 g, 72% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.50; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.79 (dd, J_1 = 1.2 Hz, J_2 = 7.6 Hz, 1H), 7.56-7.54 (m, 2H), 7.50-7.40 (m, 5H), 7.33-7.19 (m, 8H), 6.95 (d, J = 8.3 Hz, 2H), 6.81 (t, J = 7.3 Hz, 1H), 6.46 (dd, J_1 = 5.3 Hz, J_2 = 7.6 Hz, 1H), 4.68 (d, J = 17.2 Hz, 1H), 4.40

(d, J = 17.2 Hz, 1H), 4.23 (dd, J_1 = 7.9 Hz, J_2 = 15.3 Hz, 1H), 3.82 (dd, J_1 = 5.3 Hz, J_2 = 15.3 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 164.8, 148.1, 138.4, 138.2, 133.9, 132.7, 131.7, 131.2, 129.8, 129.4, 128.0, 128.6, 128.6, 126.9, 126.8, 126.7, 126.6, 117.2, 112.9, 75.2, 56.7, 54.7. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{25}O_2NCl$: 442.1568, found: 442.1572. **FTIR (cm^{-1})** 3022, 2357, 1725, 1598, 1500, 1360, 1217, 1123, 1041, 762.

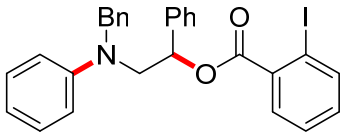
2-(Benzyl(phenyl)amino)-1-phenylethyl 2-bromobenzoate (4h)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 2-bromobenzoic acid **3h** (0.151 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 2-bromobenzoate as a colourless oil **4h** (0.182 g, 75% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.57; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.72-7.67 (m, 2H), 8.03 (d, J = 7.3 Hz, 2H), 7.46-7.39 (m, 3H), 7.36-7.22 (m, 7H), 7.18 (d, J = 7.4 Hz, 2H), 6.91 (d, J = 8.2 Hz, 2H), 6.79 (t, J = 7.2 Hz, 1H), 6.44-6.42 (m, 1H), 4.65 (d, J = 17.0 Hz, 1H), 4.38 (d, J = 17.2 Hz, 1H), 4.22 (dd, J_1 = 8.0 Hz, J_2 = 15.3 Hz, 1H), 3.80 (dd, J_1 = 5.3 Hz, J_2 = 15.3 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.3, 148.3, 138.3, 138.3, 134.5, 132.7, 131.9, 131.6, 129.4, 128.8, 128.6, 128.6, 127.2, 126.9, 126.6, 121.9, 117.1, 112.8, 75.3, 56.6, 54.6. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{25}O_2NBr$: 486.1063, found: 486.1068. **FTIR (cm^{-1})** 3022, 2928, 2358, 1728, 1597, 1502, 1442, 1358, 1285, 1247, 1219, 1121, 1033, 759, 697.

2-(Benzyl(phenyl)amino)-1-phenylethyl 2-iodobenzoate (4i)

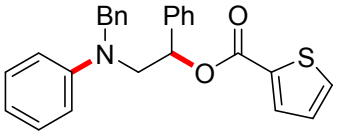


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl) phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 2-iodobenzoic acid **3i** (0.186 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica

gel afforded 2-(Benzyl(phenyl)amino)-1-phenylethyl 2-iodobenzoate as a colourless oil **4i** (0.223 g, 84% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.59; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.04 (d, *J* = 7.8 Hz, 1H), 7.74 (dd, J_1 = 1.6 Hz, J_2 = 6.2 Hz, 1H), 7.57-7.39 (m, 6H), 7.31-7.17 (m, 8H), 6.93 (d, *J* = 8.2 Hz, 2H), 6.81 (t, *J* = 6.9 Hz, 1H), 6.46-6.43 (m, 1H), 4.67 (d, *J* = 17.4 Hz, 1H), 4.40 (d, *J* = 17.3 Hz, 1H), 4.25 (dd, J_1 = 6.9 Hz, J_2 = 8.0 Hz, 1H), 3.83 (dd, J_1 = 5.0 Hz, J_2 = 10.0 Hz, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.6 148.2, 141.4, 138.3, 138.3, 134.7, 132.7, 131.1, 129.4, 128.8, 128.6, 128.6, 127.9, 126.8, 126.6, 117.1, 112.8, 94.3, 75.3, 56.5, 54.6. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{24}O_2NINa$: 556.0744, found: 556.0747. **FTIR (cm^{-1})** 3021, 1727, 1597, 1504, 1459, 1359, 1249, 1216, 1028, 761, 670, 522.

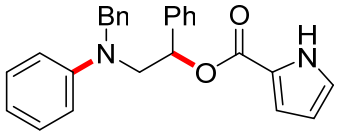
2-(Benzyl(phenyl)amino)-1-phenylethyl thiophene-2-carboxylate (4j)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with thiophene-2-carboxylic acid **3j** (0.96 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(Benzyl(phenyl)amino)-1-phenylethyl thiophene-2-carboxylate as a colourless oil **4j** (0.149 g, 72% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.37; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.80 (dd, J_1 = 1.1 Hz, J_2 = 3.7 Hz, 1H), 7.57 (dd, J_1 =1.1 Hz, J_2 = 5.0 Hz, 1H), 7.49 (d, *J* = 7.1 Hz, 2H), 7.44-7.36 (m, 3H), 7.32 – 7.21 (m, 5H), 7.19 (d, *J* = 7.3 Hz, 2H), 7.11 (dd, J_1 = 3.8 Hz, J_2 = 4.9 Hz, 1H), 6.89 (d, *J* = 8.2 Hz, 2H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.35 (dd, J_1 = 4.9 Hz, J_2 = 8.3 Hz, 1H), 4.68 (d, *J* = 17.3 Hz, 1H), 4.44 (d, *J* = 17.3 Hz, 1H), 4.12 (dd, J_1 = 8.4 Hz, J_2 = 15.4 Hz, 1H), 3.79 (dd, J_1 = 4.9 Hz, J_2 = 15.4 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 161.4, 148.2, 138.6, 138.4, 133.9, 133.6, 132.7, 129.4, 128.8, 128.7, 128.5, 127.9, 126.9, 126.6, 126.5, 117.1, 112.7, 74.9, 56.7, 54.6. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{26}H_{23}O_2NSNa$: 436.1342, found: 414.1338. **FTIR (cm^{-1})** 3022, 2405, 2358, 1711, 1598, 1508, 1264, 1089, 1032, 766, 671.

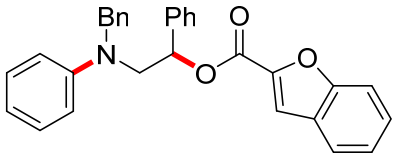
2-(Benzyl(phenyl)amino)-1-phenylethyl 1*H*-pyrrole-2-carboxylate (4k)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 1*H*-pyrrole-2-carboxylic acid **3k** (0.83 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 1*H*-pyrrole-2-carboxylate as yellow oil **4k** (0.143 g, 72% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.38; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.02 (s, 1H), 7.30 (d, *J* = 7.1 Hz, 2H), 7.27-7.19 (m, 3H), 7.17- 7.07 (m, 5H), 7.03 (d, *J* = 7.3 Hz, 2H), 6.83- 6.79 (m, 1H), 6.74 (d, *J* = 8.5 Hz, 2H), 6.68-6.69 (m, 1H), 6.62 (t, *J* = 7.2 Hz, 1H), 6.14-6.10 (m, 2H), 4.48 (d, *J* = 17.2 Hz, 1H), 4.26 (d, *J* = 17.3 Hz, 1H), 3.95 (dd, J_1 = 8.4, J_2 = 15.4 Hz, 1H), 3.60 (dd, J_1 = 4.8, J_2 = 15.4 Hz, 1H). **^{13}C NMR (100 MHz, CDCl$_3$)** δ 160.5, 148.5, 139.0, 138.4, 129.4, 128.8, 128.7, 128.4, 126.9, 126.7, 126.4, 123.4, 122.6, 117.0, 115.8, 112.8, 110.5, 74.2, 56.6, 54.6. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{26}H_{25}O_2N_2$: 397.1911, found: 397.1908. **FTIR (cm^{-1})** 3454, 3020, 2405, 1696, 1598, 1557, 1504, 1448, 1412, 1360, 1316, 1217, 1165, 1115, 960, 766.

2-(Benzyl(phenyl)amino)-1-phenylethyl benzofuran-2-carboxylate (4l)

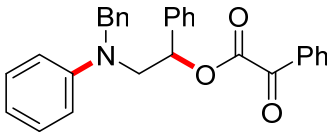


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzofuran-2-carboxylic acid **3l** (0.122 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl benzofuran-2-carboxylate as a white solid **4l** (0.198 g, 88% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.53; **^{1}H NMR (500 MHz, CDCl$_3$)** δ 7.68 (d, *J* = 7.9 Hz, 1H), 7.60 (d, *J* = 8.4 Hz, 1H), 7.52-7.30 (m, 8H), 7.29-7.21 (m, 4H), 7.18 (d, *J* = 6.4 Hz, 3H), 6.89 (d, *J* = 7.7 Hz, 2H), 6.75 (t, *J* = 7.1 Hz, 1H), 6.45 – 6.31 (m, 1H), 4.68 (d, *J* = 17.2 Hz, 1H), 4.42 (d,

J = 17.2 Hz, 1H), 4.15 (dd, J_1 = 8.2 Hz, J_2 = 15.3 Hz, 1H), 3.81 (dd, J_1 = 3.6 Hz, J_2 = 15.4 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 158.9, 155.9, 148.3, 145.4, 138.4, 138.3, 129.4, 128.9, 128.7, 127.8, 127.0, 126.9, 126.7, 123.9, 123.0, 117.2, 114.3, 112.9, 112.5, 75.1, 56.7, 54.7. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{30}H_{26}O_3N$: 448.1907, found: 448.1904. **FTIR (cm^{-1})** 3022, 2405, 2358, 1725, 1601, 1504, 1359, 1295, 1217, 1179, 766.

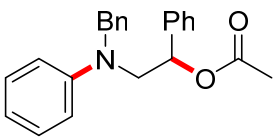
2-(Benzyl(phenyl)amino)-1-phenylethyl 2-oxo-2-phenylacetate (4m)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 2-oxo-2-phenylacetic acid **3m** (0.113 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 2-oxo-2-phenylacetate as a colourless oil **4m** (0.161 g, 74% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.47; **^{1}H NMR (500 MHz, $CDCl_3$** δ 7.94 (d, J = 8.1 Hz, 2H), 7.66 (t, J = 7.4 Hz, 1H), 7.52 – 7.42 (m, 7H), 7.33 – 7.23 (m, 5H), 7.19 (d, J = 7.5 Hz, 2H), 6.90 (d, J = 8.2 Hz, 2H), 6.80 (t, J = 7.2 Hz, 1H), 6.48 (dd, J_1 = 4.6, J_2 = 8.4 Hz, 1H), 4.68 (d, J = 17.3 Hz, 1H), 4.52 (d, J = 17.3 Hz, 1H), 4.10 (dd, J_1 = 8.6, J_2 = 15.5 Hz, 1H), 3.85 (dd, J_1 = 4.6, J_2 = 15.5 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 185.9, 163.1, 148.2, 138.4, 137.4, 134.9, 132.4, 130.1, 129.5, 129.0, 128.9, 128.7, 127.0, 126.7, 117.4, 112.8, 76.0, 56.3, 54.7. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{29}H_{25}O_3NNa$: 458.1727, found: 458.1728. **FTIR (cm^{-1})** 3023, 2927, 2357, 1739, 1689, 1597, 1501, 1451, 1360, 1208, 1029, 760, 695.

2-(benzyl(phenyl)amino)-1-phenylethyl acetate (4n)

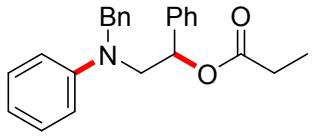


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with acetic acid **3n** (0.045 g, 43 µL, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet.

ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl acetate as a white solid **4n** (0.132 g, 76% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.48; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.44-7.39 (m, 5H), 7.35-7.27 (m, 5H), 7.21-7.19 (m, 2H), 6.88 (d, *J* = 8.1 Hz, 2H), 6.79 (t, *J* = 7.3 Hz, 1H), 6.21-6.19 (m, 1H), 4.66 (d, *J* = 17.4 Hz, 1H), 4.40 (d, *J* = 17.2 Hz, 1H), 4.02 (dd, J_1 = 8.6 Hz, J_2 = 7.2 Hz, 1H), 3.69 (dd, J_1 = 4.3 Hz, J_2 = 10.8 Hz, 1H), 1.98 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.2, 148.3, 138.7, 138.4, 129.4, 128.8, 128.7, 128.4, 126.9, 126.6, 117.0, 112.6, 73.9, 56.7, 54.6, 21.1. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{23}H_{23}O_2NNa$: 368.1621, found: 368.1620. **FTIR (cm^{-1})** 3023, 2931, 1738, 1598, 1502, 1365, 1232, 1033, 994, 757, 697, 609.

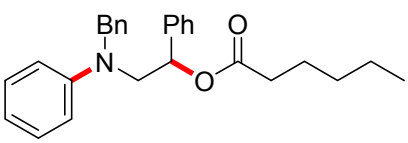
2-(Benzyl(phenyl)amino)-1-phenylethyl propionate (4o)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with propionic acid **3o** (0.56 g, 56 µL, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl propionate as a colourless oil **4o** (0.131 g, 73% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.55; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.37-7.32 (m, 5H), 7.29-7.26 (m, 2H), 7.23-7.20 (m, 3H), 7.13 (d, *J* = 7.3 Hz, 2H), 6.80 (d, *J* = 8.2 Hz, 2H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.15-6.13 (m, 1H), 4.59 (d, *J* = 17.4 Hz, 1H), 4.33 (d, *J* = 17.3 Hz, 1H), 3.95 (dd, J_1 = 8.4 Hz, J_2 = 15.3 Hz, 1H), 3.61 (dd, J_1 = 4.8 Hz, J_2 = 15.3 Hz, 1H), 2.28-2.13 (m, 2H), 1.05 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 173.6, 148.3, 138.8, 138.4, 129.3, 128.7, 128.6, 128.3, 126.8, 126.6, 126.5, 117.0, 112.6, 73.7, 56.7, 54.5, 27.7, 8.9. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{24}H_{25}NO_2Na$: 382.1778, found: 382.1779. **FTIR (cm^{-1})** 3022, 2934, 2358, 1731, 1599, 1452, 1359, 1291, 1217, 1084, 1028, 759, 698.

2-(Benzyl(phenyl)amino)-1-phenylethyl hexanoate (4p)

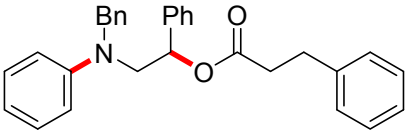


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-

(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with hexanoic acid **3p** (0.087 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl hexanoate as a colourless oil **4p** (0.121 g, 60% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.47; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.43-7.37 (m, 5H), 7.35-7.32 (m, 2H), 7.30-7.26 (m, 3H), 7.21 (d, *J* = 7.3 Hz, 2H), 6.89 (d, *J* = 8.1 Hz, 2H), 6.80 (t, *J* = 7.3 Hz, 1H), 6.22 (dd, J_1 = 4.9 Hz, J_2 = 8.4 Hz, 1H), 4.66 (d, *J* = 17.3 Hz, 1H), 4.41 (d, *J* = 17.3 Hz, 1H), 4.03 (dd, J_1 = 8.5 Hz, J_2 = 15.4 Hz, 1H), 3.68 (dd, J_1 = 4.9 Hz, J_2 = 15.4 Hz, 1H), 2.32-2.19 (m, 2H), 1.64-1.58 (m, 2H), 1.37-1.25 (m, 4H), 0.94 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 173.0, 148.2, 138.9, 138.3, 129.3, 128.7, 128.7, 128.4, 126.9, 126.7, 126.6, 117.1, 112.8, 73.6, 56.7, 54.6, 34.4, 31.3, 24.5, 22.4, 14.0. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{27}H_{32}O_2N$: 402.2428, found: 402.2428. **FTIR (cm^{-1})** 3022, 2952, 2357, 1732, 1599, 1501, 1452, 1361, 1217, 762.

2-(Benzyl(phenyl)amino)-1-phenylethyl 3-phenylpropanoate (4q)

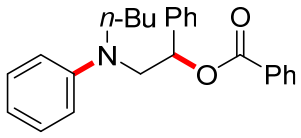


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 3-phenylpropanoic acid **3q** (0.112 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-phenylethyl 3-phenylpropanoate as a colourless oil **4q** (0.100 g, 46% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.56; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.45-7.38 (m, 5H), 7.37-7.25 (m, 8H), 7.21-7.18 (m, 4H), 6.89 (d, *J* = 8.2 Hz, 2H), 6.82 (t, *J* = 7.3 Hz, 1H), 6.24 (dd, J_1 = 4.8 Hz, J_2 = 8.6 Hz, 1H), 4.64 (d, *J* = 17.2 Hz, 1H), 4.38 (d, *J* = 17.2 Hz, 1H), 4.01 (dd, J_1 = 8.6 Hz, J_2 = 15.4 Hz, 1H), 3.67 (dd, J_1 = 4.8 Hz, J_2 = 15.4 Hz, 1H), 2.97-2.86 (m, 2H), 2.65-2.51 (m, 2H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 172.1, 148.2, 140.5, 138.6, 138.3, 129.4, 128.7, 128.6, 128.5, 128.4, 128.3, 126.9, 126.6, 126.5, 126.3, 117.1, 112.7, 74.0, 56.7, 54.5, 35.9, 30.8.

HRMS (ESI) calculated $[M+H]^+$ for $C_{30}H_{30}O_2N$: 436.2271, found: 436.2274. **FTIR (cm^{-1})** 3022, 2931, 2357, 1734, 1599, 1501, 1361, 1218, 1161, 761.

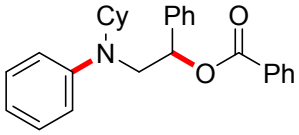
2-(Butyl(phenyl)amino)-1-phenylethyl benzoate (4r)



Following the general procedure, treatment of 1-butyl-2-phenylaziridine **1r** (0.088 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.092 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 99.5/0.5) of the crude reaction mixture using silica gel afforded 2-(butyl(phenyl)amino)-1-phenylethyl benzoate as a colourless oil **4r** (0.153 g, 82% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.61; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.15 (d, J = 7.9 Hz, 2H), 7.63 (t, J = 7.7 Hz, 1H), 7.58-7.40 (m, 7H), 7.35 (t, J = 7.3 Hz, 2H), 6.92 (d, J = 8.1 Hz, 2H), 6.81 (t, J = 7.3 Hz, 1H), 6.36 (t, J = 5.7 Hz, 1H), 4.09 (dd, J_1 = 7.9 Hz, J_2 = 7.5 Hz, 1H), 3.74 (dd, J_1 = 5.2 Hz, J_2 = 10.0 Hz, 1H), 3.40-3.35 (m, 1H), 3.21-3.18 (m, 1H), 1.61-1.55 (m, 2H), 1.36 (q, J = 7.6 Hz, 2H), 0.98 (t, J = 7.4 Hz, 3H)). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.8, 147.9, 139.0, 133.1, 130.2, 129.8, 129.4, 128.72 128.4, 128.3, 126.5, 116.3, 112.4, 74.5, 56.8, 51.3, 28.9, 20.3, 14.0. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{25}H_{28}O_2N$: 374.2115, found: 374.2114. **FTIR (cm^{-1})** 3022, 2957, 2870, 1719, 1598, 1502, 1456, 1216, 1108, 987, 763, 705.

2-(Cyclohexyl(phenyl)amino)-1-phenylethyl benzoate (4s)

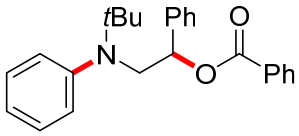


Following the general procedure, treatment of 1-cyclohexyl-2-phenylaziridine **1s** (0.101 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(cyclohexyl(phenyl)amino)-1-phenylethyl benzoate as a white solid **4s** (0.154 g, 77% yield). CCDC-1050176 (**4s**) contains the supplementary crystallographic data for this paper.

These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

***R*f** (Pet. ether /EtOAc = 95/05): 0.61; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.04 (d, *J* = 7.2 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.47-7.41 (m, 4H), 7.38 (t, *J* = 7.2 Hz, 2H), 7.32 (t, *J* = 7.2 Hz, 1H), 7.29-7.25 (m, 2H), 6.98 (d, *J* = 8.2 Hz, 2H), 6.78 (t, *J* = 7.2 Hz, 1H), 6.19-6.17 (m, 1H), 3.88 (dd, J_1 = 8.2 Hz, J_2 = 15.2 Hz, 1H), 3.54 (dd, J_1 = 5.1 Hz, J_2 = 15.3 Hz, 1H), 3.50-3.47 (m, 1H), 1.88-1.77 (m, 3H), 1.66 (d, *J* = 10.6 Hz, 2H), 1.48-1.40 (m, 1H), 1.35-1.25 (m, 3H), 1.15-1.09 (m, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.9, 149.1, 139.5, 133.0, 130.4, 129.9, 129.2, 128.6, 128.4, 128.3, 126.6, 117.9, 115.7, 75.4, 59.8, 50.7, 31.2, 30.7, 26.4, 26.3, 26.0. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{27}H_{30}O_2N$: 400.2271, found: 400.2273. **FTIR (cm^{-1})** 3022, 2934, 2858, 2357, 1719, 1597, 1498, 1452, 1269, 1218, 1168, 1110, 1024, 760, 705.

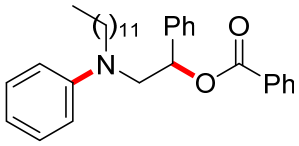
2-(*tert*-Butyl(phenyl)amino)-1-phenylethyl benzoate (4t)



Following the general procedure, treatment of 1-(tert-butyl)-2-phenylaziridine **1t** (0.044 g, 0.25 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.112 g, 91 µL, 0.375 mmol) with benzoic acid **3a** (0.046 g, 0.375 mmol) in the presence of KF (0.044 g, 0.75 mmol) and 18-crown-6 (0.198 g, 0.75 mmol) in THF (1.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 99.5/0.5) of the crude reaction mixture using silica gel afforded 2-(*tert*-butyl(phenyl)amino)-1-phenylethyl benzoate as a colourless oil **4t** (0.054 g, 58% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.57; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.00 (d, *J* = 7.3 Hz, 2H), 7.57-7.43 (m, 3H), 7.37-7.29 (m, 7H), 7.22-7.19 (m, 3H), 5.86-5.83 (m, 1H), 3.75 (dd, J_1 = 8.8 Hz, J_2 = 5.3 Hz, 1H), 3.55 (dd, J_1 = 4.3 Hz, J_2 = 9.6 Hz, 1H), 1.11 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.77, 149.24, 139.81, 132.81, 130.68, 129.81, 128.41, 128.34, 128.29, 127.88, 126.68, 125.39, 76.47, 55.52, 55.22, 28.40. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{25}H_{28}O_2N$: 374.2115, found: 374.2114. **FTIR (cm^{-1})** 3022, 2972, 2930, 2866, 1715, 1594, 1489, 1457, 1362, 1273, 930, 670.

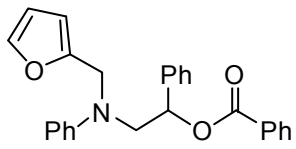
2-(Dodecyl(phenyl)amino)-1-phenylethyl benzoate (4u)



Following the general procedure, treatment of 1-dodecyl-2-phenylaziridine **1u** (0.144 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(dodecyl(phenyl)amino)-1-phenylethyl benzoate as a colourless oil **4u** (0.192 g, 72% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.56; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.04 (d, *J* = 7.4 Hz, 2H), 7.59 – 7.52 (m, 1H), 7.49 – 7.41 (m, 4H), 7.38 (t, *J* = 7.4 Hz, 2H), 7.33 (t, *J* = 7.3 Hz, 1H), 7.27 – 7.22 (m, 2H), 6.80 (d, *J* = 8.3 Hz, 2H), 6.70 (t, *J* = 7.2 Hz, 1H), 6.24 (dd, J_1 = 5.4 Hz, J_2 = 7.8 Hz, 1H), 3.97 (dd, J_1 = 7.9 Hz, J_2 = 15.3 Hz, 1H), 3.63 (dd, J_1 = 5.3 Hz, J_2 = 15.3 Hz, 1H), 3.32 – 3.22 (m, 1H), 3.15 – 3.03 (m, 1H), 1.31-1.23 (m, 20H), 0.89 (t, *J* = 6.9 Hz, 3H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.9, 148.0, 139.1, 133.1, 130.3, 129.8, 129.4, 128.8, 128.5, 128.4, 126.6, 116.4, 112.5, 74.6, 56.9, 51.7, 32.1, 29.8, 29.6, 29.5, 27.2, 26.8, 22.8, 14.3. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{33}H_{44}O_2N$: 486.3367, found: 486.3363. **FTIR (cm^{-1})** 3022, 2927, 2858, 2433, 1718, 1598, 1507, 1216, 1110, 772, 673.

2-((Furan-2-ylmethyl)(phenyl)amino)-1-phenylethyl benzoate (4v)

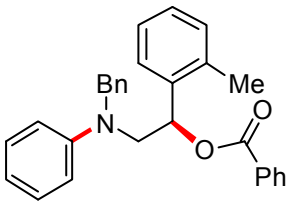


Following the general procedure, treatment of 1-(furan-2-ylmethyl)-2-phenylaziridine **1v** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((furan-2-ylmethyl)(phenyl)amino)-1-phenylethyl benzoate as a colourless oil **4v** (0.051 g, 26% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.49; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.07 (d, *J* = 7.4 Hz, 2H), 7.60 (t, *J* = 6.9 Hz, 1H), 7.55-7.36 (m, 7H), 7.34 (s, 1H), 7.29 (t, *J* = 7.2 Hz, 2H), 6.97 (d, *J* = 7.8 Hz, 2H), 6.80 (t, *J* = 6.9 Hz, 1H), 6.45-6.21 (m, 2H), 6.13 (s, 1H), 4.53 (d, *J* = 16.9 Hz, 1H), 4.31

(d, J = 16.9 Hz, 1H), 4.12 (dd, J_1 = 8.0 Hz, J_2 = 15.3 Hz, 1H), 3.76 (dd, J_1 = 4.2 Hz, J_2 = 15.4 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.9, 152.0, 148.1, 141.9, 138.9, 133.2, 130.2, 129.8, 129.4, 128.8, 128.5, 126.6, 117.5, 113.0, 110.3, 107.6, 74.6, 56.5, 48.1. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{26}H_{24}O_3N$: 398.1751, found: 398.1748. **FTIR (cm^{-1})** 3022, 2357, 1717, 1597, 1506, 1267, 1217, 1109, 1028, 766, 672.

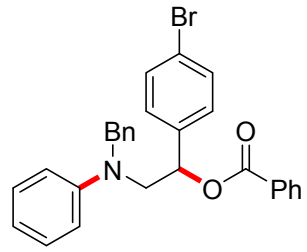
2-(Benzyl(phenyl)amino)-1-(*o*-tolyl)ethyl benzoate (4w)



Following the general procedure, treatment of 1-benzyl-2-(o-tolyl)aziridine **1w** (0.056 g, 0.25 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.111 g, 91 µL, 0.38 mmol) with benzoic acid **3a** (0.046 g, 0.38 mmol) in the presence of KF (0.044 g, 0.75 mmol) and 18-crown-6 (0.198 g, 0.75 mmol) in THF (1.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-(*o*-tolyl)ethyl benzoate as a colourless oil **4w** (0.068 g, 64% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.39; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.02 (d, J = 7.1 Hz, 2H), 7.59-7.54 (m, 2H), 7.46-7.43 (m, 2H), 7.29-7.19 (m, 10H), 6.95 (d, J = 7.1 Hz, 2H), 6.78 (t, J = 6.9 Hz, 1H), 6.61-6.59 (m, 1H), 4.65 (d, J = 16.8 Hz, 1H), 4.40 (d, J = 16.8 Hz, 1H), 4.19 (dd, J_1 = 7.7 Hz, J_2 = 15.2 Hz, 1H), 3.77 (dd, J_1 = 4.7 Hz, J_2 = 15.2 Hz, 1H), 2.46 (s, 3H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.9, 148.2, 138.1, 137.3, 135.8, 133.1, 130.8, 130.2, 129.8, 129.4, 128.7, 128.5, 128.3, 127.1, 126.9, 126.6, 126.3, 117.6, 113.2, 71.3, 56.0, 54.7, 19.5. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{29}H_{27}O_2NNa$: 444.1934, found: 444.1937. **FTIR (cm^{-1})** 3057, 2357, 1718, 1598, 1503, 1354, 1271, 1106, 763.

2-(Benzyl(phenyl)amino)-1-(4-bromophenyl)ethyl benzoate (4x)

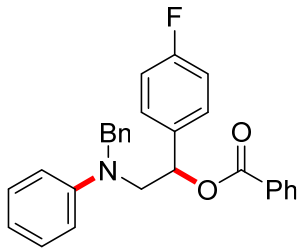


Following the general procedure, treatment of 1-benzyl-2-(4-bromophenyl)aziridine **1x** (0.144 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether

/EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-(4-bromophenyl)ethyl benzoate as a colourless oil **4x** (0.185 g, 76% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.54; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.01 (d, *J* = 7.4 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.34 (d, *J* = 8.3 Hz, 2H), 7.24 (m, 5H), 7.15 (d, *J* = 7.4 Hz, 2H), 6.88 (d, *J* = 8.2 Hz, 2H), 6.78 (t, *J* = 7.2 Hz, 1H), 6.31 (dd, J_1 = 5.6 Hz, J_2 =7.7 Hz, 1H), 4.62 (d, *J* = 17.2 Hz, 1H), 4.35 (d, *J* = 17.2 Hz, 1H), 4.13 (dd, J_1 = 7.9 Hz, J_2 = 15.3 Hz, 1H), 3.74 (dd, J_1 = 5.4 Hz, J_2 =15.3 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.8, 148.2, 138.2, 138.0, 133.3, 132.0, 129.9, 129.8, 129.5, 128.7, 128.5, 128.3, 127.0, 126.7, 122.5, 117.4, 112.9, 73.9, 56.6, 55.0. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{25}O_2NBr$: 486.1063, found: 486.1063. **FTIR (cm^{-1})** 3021, 2404, 2358, 1719, 1498, 1357, 1266, 1216, 1107, 770.

2-(Benzyl(phenyl)amino)-1-(4-fluorophenyl)ethyl benzoate (4y)

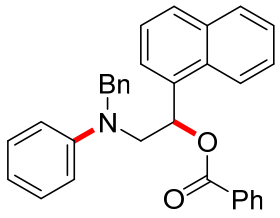


Following the general procedure, treatment of 1-benzyl-2-(4-fluorophenyl)aziridines **1y** (0.057 g, 0.25 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.111 g, 91 µL, 0.38 mmol) with benzoic acid **3a** (0.046 g, 0.38 mmol) in the presence of KF (0.044 g, 0.75 mmol) and 18-crown-6 (0.198 g, 0.75 mmol) in THF (1.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-(4-fluorophenyl)ethyl benzoate as a colourless oil **4y** (0.084 g, 79% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.44; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.04 (d, *J* = 7.4 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.48-7.44 (m, 4H), 7.30-7.21 (m, 5H), 7.18 (d, *J* = 7.4 Hz, 2H), 7.11 (t, *J* = 8.6 Hz, 2H), 6.91 (d, *J* = 8.1 Hz, 2H), 6.79 (t, *J* = 7.2 Hz, 1H), 6.37 (dd, J_1 = 5.4 Hz, J_2 = 7.6 Hz, 1H), 4.64 (d, *J* = 17.2 Hz, 1H), 4.37 (d, *J* = 17.2 Hz, 1H), 4.17 (dd, J_1 = 7.8 Hz, J_2 = 15.2 Hz, 1H), 3.77 (dd, J_1 = 5.4 Hz, J_2 = 15.2 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.78, 162.77 (d, *J* = 247.1 Hz), 148.10, 138.09, 134.71 (d, *J* = 2.9 Hz), 133.2, 130.0, 129.8, 129.5, 128.7, 128.5, 128.4 (d, *J* = 8.2 Hz), 127.0, 126.7, 117.5, 115.8 (d, *J* = 21.7 Hz), 113.0, 73.8,

56.7, 55.0. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{25}O_2NF$: 426.1864, found: 426.1863. **FTIR (cm^{-1})** 3021, 2931, 2357, 1719, 1600, 1506, 1451, 1358, 1268, 1221, 1107, 1028, 761.

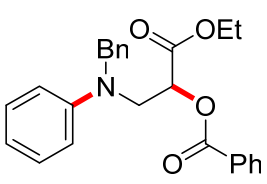
2-(Benzyl(phenyl)amino)-1-(naphthalen-1-yl)ethyl benzoate (4z)



Following the general procedure, treatment of 1-benzyl-2-(naphthalen-1-yl)aziridines **1z** (0.065 g, 0.25 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.111 g, 91 µL, 0.38 mmol) with benzoic acid **3a** (0.046 g, 0.38 mmol) in the presence of KF (0.044 g, 0.75 mmol) and 18-crown-6 (0.198 g, 0.75 mmol) in THF (1.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(phenyl)amino)-1-(naphthalen-1-yl)ethyl benzoate as a colourless oil **4z** (0.085 g, 74% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.59; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.26-8.24 (m, 1H), 8.08 (d, *J* = 7.2 Hz, 2H), 7.95-7.93 (m, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 6.9 Hz, 1H), 7.61-7.55 (m, 3H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.32-7.27 (m, 4H), 7.25-7.15 (m, 4H), 6.99 (d, *J* = 8.0 Hz, 2H), 6.83 (t, *J* = 7.2 Hz, 1H), 4.60 (d, *J* = 16.9 Hz, 1H), 4.43-4.35 (m, 2H), 4.02 (dd, J_1 = 4.8 Hz, J_2 = 15.4 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 165.9, 148.3, 138.1, 135.0, 133.9, 133.1, 130.7, 130.1, 129.8, 129.4, 129.1, 129.0, 128.7, 128.5, 127.0, 126.9, 126.7, 126.0, 125.5, 124.6, 123.3, 117.5, 113.4, 72.2, 56.2, 54.8. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{32}H_{28}O_2N$: 458.2115, found: 458.2117. **FTIR (cm^{-1})** 3022, 2403, 2358, 1718, 1598, 1510, 1434, 1267, 1216, 770, 672.

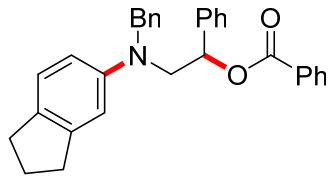
3-(Benzyl(phenyl)amino)-1-ethoxy-1-oxopropan-2-yl benzoate (4aa)



Following the general procedure, treatment of ethyl 1-benzylaziridine-2-carboxylate **1aa** (0.103 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(benzyl(phenyl)amino)-1-ethoxy-1-oxopropan-2-yl benzoate as yellow oil **4aa** (0.158 g, 78% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.47; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.86 (d, *J* = 7.8 Hz, 2H), 7.47 (t, *J* = 7.3 Hz, 1H), 7.31 (t, *J* = 7.7 Hz, 2H), 7.20- 7.09 (m, 7H), 6.77 (d, *J* = 8.4 Hz, 2H), 6.66 (t, *J* = 7.2 Hz, 1H), 5.49 (dd, J_1 = 3.5 Hz, J_2 = 8.3 Hz, 1H), 4.63 (q, *J* = 17.2 Hz, 2H), 4.17-4.10 (m, 2H), 4.04 (dd, J_1 = 3.5 Hz, J_2 = 15.6 Hz, 1H), 3.95 (dd, J_1 = 8.4 Hz, J_2 = 15.7Hz, 1H), 1.19 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 169.0, 166.1, 147.9, 138.2, 133.4, 130.0, 129.400, 129.2, 128.7, 128.5, 127.0, 126.6, 117.6, 113.0, 72.1, 61.88, 55.1, 52.4, 14.2. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{25}H_{26}O_4N$: 404.1856, found: 404.1855. **FTIR (cm^{-1})** 3202, 2357, 1729, 1599, 1502, 1452, 1359, 1267, 1218, 1108, 1029, 953, 760.

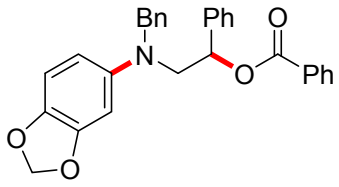
2-(Benzyl(2,3-dihydro-1*H*-inden-5-yl)amino)-1-phenylethyl benzoate (4ab)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 6-(trimethylsilyl)-2,3-dihydro-1H-inden-5-yl trifluoromethanesulfonate **2ab** (0.254 g, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(2,3-dihydro-1*H*-inden-5-yl)amino)-1-phenylethyl benzoate as a colourless oil **4ab** (0.168 g, 75% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.57; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.06 (d, *J* = 8.2 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.51 (d, *J* = 7.4 Hz, 2H), 7.48-7.41 (m, 4H), 7.38 (t, *J* = 7.2 Hz, 1H), 7.30 (t, *J* = 7.4 Hz, 2H), 7.26-7.21 (m, 3H), 7.12 (d, *J* = 8.2 Hz, 1H), 6.85 (s, 1H), 6.72 (dd, J_1 = 1.8, J_2= 8.2 Hz, 1H), 6.42 (dd, J_1 = 8.0, J_2= 4.9 Hz, 1H), 4.64 (d, *J* = 17.1 Hz, 1H), 4.39 (d, *J* = 17.1 Hz, 1H), 4.15 (dd, J_1 = 8.2, J_2 = 15.3 Hz, 1H), 3.79 (dd, J_1 = 4.9, J_2 = 15.3 Hz, 1H), 2.90-2.85 (m, 4H), 2.09 (p, *J* = 7.4 Hz, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.8, 147.5, 145.5, 139.0, 138.7, 133.1, 132.9, 130.2, 129.8, 128.8, 128.6, 128.4, 126.8, 126.6, 124.9, 111.4, 109.3, 74.6, 57.1, 55.1, 33.5, 32.0, 25.8. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{31}H_{30}O_2N$: 448.2271, found: 448.2271. **FTIR (cm^{-1})** 3055, 2984, 2356, 1718, 1608, 1499, 1266, 1220, 1167, 1108, 1029, 908, 763.

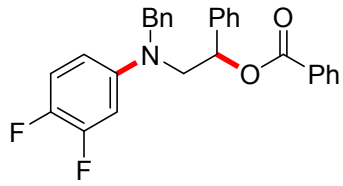
2-(Benzo[*d*][1,3]dioxol-5-yl(benzyl)amino)-1-phenylethyl benzoate (4ac)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 6-(trimethylsilyl)benzo[*d*][1,3]dioxol-5-yl trifluoromethanesulfonate **2ac** (0.257 g, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzo[d][1,3]dioxol-5-yl(benzyl)amino)-1-phenylethyl benzoate as a colourless oil **4ac** (0.186 g, 82% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.32; **^{1}H NMR (500 MHz, CDCl$_3$)** δ 8.09 (d, *J* = 7.7 Hz, 2H), 7.61 (t, *J* = 7.2 Hz, 1H), 7.54 – 7.42 (m, 6H), 7.42 – 7.29 (m, 4H), 7.22 (d, *J* = 7.3 Hz, 2H), 6.75 (d, *J* = 8.5 Hz, 1H), 6.58 (s, 1H), 6.45 – 6.33 (m, 2H), 5.89 (s, 2H), 4.58 (d, *J* = 16.8 Hz, 1H), 4.37 (d, *J* = 16.8 Hz, 1H), 4.10 (dd, J_1 = 8.2 Hz, J_2 = 15.2 Hz, 1H), 3.74 (dd, J_1 = 4.1 Hz, J_2 = 15.3 Hz, 1H). **^{13}C NMR (125 MHz, CDCl$_3$)** δ 165.8, 148.6, 144.5, 139.6, 138.8, 138.4, 133.1, 130.1, 129.8, 128.8, 128.6, 128.4, 127.9, 127.0, 126.9, 126.8, 126.5, 126.3, 108.6, 105.7, 100.7, 96.8, 74.4, 57.7, 55.7. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{29}H_{25}O_4NNa$: 474.1676, found: 474.1673. **FTIR (cm^{-1})** 3022, 2358, 1718, 1499, 1447, 1266, 1217, 1109, 1036, 767, 673.

2-(Benzyl(3,4-difluorophenyl)amino)-1-phenylethyl benzoate (4ad)

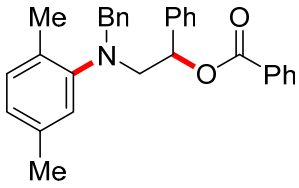


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 4,5-difluoro-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2ad** (0.251 g, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(3,4-difluorophenyl)amino)-1-phenylethyl benzoate as a colourless oil **4ad** (0.140 g, 63% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.44; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.02 (d, *J* = 7.9 Hz, 2H), 7.58 (t, *J* = 7.1 Hz, 1H), 7.46-7.35 (m, 7H), 7.29-7.20 (m, 3H), 7.11 (d, *J* = 7.4 Hz, 2H), 6.97 (q, *J* = 9.5 Hz, 1H), 6.65-6.60 (m, 1H), 6.49 (d, *J* = 9.3 Hz, 1H), 6.33 (dd, J_1 = 5.5, J_2 = 7.5 Hz, 1H), 4.51 (d, *J* = 17.2 Hz, 1H), 4.31 (d, *J* = 17.2 Hz, 1H), 4.09 (dd, J_1 = 8.1, J_2 = 15.3 Hz, 1H), 3.70

(dd, J_1 = 5.0, J_1 = 15.4 Hz, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.9, 150.9 (dd, J_1 = 13.0, J_2 = 243.9 Hz), 145.5 (d, J = 8.3 Hz), 142.9 (dd, J_1 = 13.1, J_2 = 237.6 Hz), 138.4, 137.5, 133.3, 129.9, 129.8, 128.9, 128.8, 128.7, 128.5, 127.2, 126.6, 117.5 (d, J = 17.4 Hz), 109.4, 108.0, 102.0 (d, J = 17.4 Hz), 74.2, 57.1, 55.0. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{24}F_2O_2N$: 444.1770, found: 444.1766. **FTIR (cm^{-1})** 3203, 2404, 2357, 1718, 1599, 1521, 1447, 1363, 1269, 1217, 1110, 1031, 768.

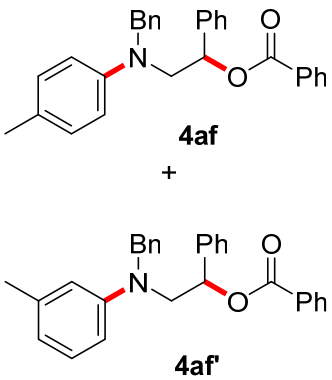
2-(Benzyl(2,5-dimethylphenyl)amino)-1-phenylethyl benzoate (4ae)



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 3,6-dimethyl-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2ae** (0.245 g, 0.75 mmol) with benzoic acid **3a** (0.092 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 99/01) of the crude reaction mixture using silica gel afforded 2-(benzyl(2,5-dimethylphenyl)amino)-1-phenylethyl benzoate as a colourless oil **4ae** (0.180 g, 83% yield).

***R*$_f$** (Pet. ether /EtOAc = 95/05): 0.52; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.98 (d, J = 7.9 Hz, 2H), 7.61-7.43 (m, 3H), 7.36-7.26 (m, 10H), 7.13 (d, J = 7.7 Hz, 1H), 7.04 (s, 1H), 6.85 (d, J = 7.5 Hz, 1H), 6.20 (m, 1H), 4.22 (s, 2H), 3.64 (dd, J_1 = 5.5 Hz, J_2 = 8.7 Hz, 1H), 3.38 (dd, J_1 = 4.3 Hz, J_2 = 9.8 Hz, 1H), 2.25 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.8, 149.9, 139.4, 138.8, 136.1, 133.0, 131.2, 129.8, 128.8, 128.7, 128.5, 128.4, 128.3, 128.04, 127.2, 126.5, 124.7, 123.3, 74.2, 59.2, 56.6, 21.1, 18.0. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{30}H_{30}O_2N$: 436.2271, found: 436.2267. **FTIR (cm^{-1})** 3023, 2927, 1719, 1603, 1507, 1452, 1340, 1268, 1218, 1111, 1027, 762.

2-(Benzyl(*p*-tolyl)amino)-1-phenylethyl benzoate (4af) and 2-(Benzyl(*m*-tolyl)amino)-1-phenylethyl benzoate (4af')

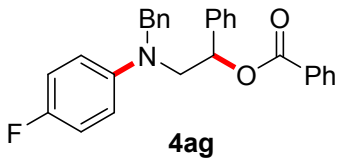


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 4-methyl-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2af** (0.234 g, 0.75 mmol) with benzoic acid **3a** (0.92 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in

THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(*p*-tolyl)amino)-1-phenylethyl benzoate (**4af**) and 2-(benzyl(*m*-tolyl)amino)-1-phenyl ethyl benzoate (**4af'**) as a inseparable mixture of regioisomers in 1:1 ratio (as a colourless oil, 0.142 g, 67% yield).

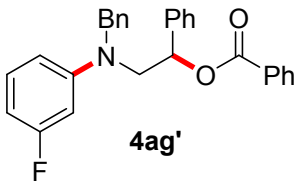
***R*f** (Pet. ether /EtOAc = 95/05): 0.50; **^{1}H NMR (500 MHz, $CDCl_3$) 4af** δ 8.12-8.00 (m, 2H), 7.61 (dd, J_1 = 4.2 Hz, J_2 = 10.6 Hz 1H), 7.54-7.36 (m, 7H), 7.34 – 7.27 (m, 2H), 7.25-7.15 (m, 3H), 7.09 (d, J = 8.4 Hz, 1H), 6.83 (d, J = 8.6 Hz, 1H), 6.76 (s, 1H), 6.62 (d, J = 7.3 Hz, 1H), 6.42-6.39 (m, 1H), 4.64 (t, J = 15.9 Hz, 1H), 4.38 (t, J = 16.4 Hz, 1H), 4.21-4.10 (m, 1H), 3.80 (dt, J_1 = 4.6 Hz, J_2 = 15.3 Hz, 1H), 2.35 (s, 3H). **^{13}C NMR (125 MHz, $CDCl_3$) 4af** δ 165.9, 148.5, 139.0, 138.5, 133.1, 129.8, 129.3, 128.8, 128.7, 128.4, 126.9, 126.7, 126.6, 118.1, 113.1, 74.6, 56.7, 54.6, 22.1. **^{1}H NMR (500 MHz, $CDCl_3$) 4af'** δ 8.12-8.00 (m, 2H), 7.61 (dd, J_1 = 4.2 Hz, J_2 = 10.6 Hz, 1H), 7.54-7.36 (m, 7H), 7.34-7.27 (m, 2H), 7.25-7.15 (m, 4H), 7.09 (d, J = 8.4 Hz, 1H), 6.83 (d, J = 8.6 Hz, 1H), 6.72 (dd, J_1 = 2.4 Hz, J_2 = 8.2 Hz, 1H), 6.42-6.39 (m, 1H), 4.64 (t, J = 15.9 Hz, 1H), 4.38 (t, J = 16.4 Hz, 1H), 4.21 – 4.10 (m, 1H), 3.80 (dt, J_1 = 4.6 Hz, J_2 = 15.3 Hz, 1H), 2.31 (s, 3H). **^{13}C NMR (125 MHz, $CDCl_3$) 4af'** δ 165.9, 146.3, 138.7, 133.1, 130.2, 129.3, 128.8, 128.6, 126.8, 126.7, 126.6, 126.3 113.6, 110.1, 74.6, 57.0, 55.0, 20.3. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{29}H_{28}O_2N$: 422.2115, found: 422.2113. **FTIR (cm^{-1})** 3021, 2925, 2357, 1719, 1602, 1509, 1451, 1267, 1217, 1107, 760, 706.

2-(Benzyl(4-fluorophenyl)amino)-1-phenylethyl benzoate (4ag) and 2-(Benzyl(3-fluoro phenyl)amino)-1-phenylethyl benzoate (4ag')



4ag

+



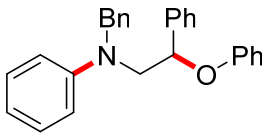
4ag'

Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 4-fluoro-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2ag** (0.237 g, 0.75 mmol) with benzoic acid **3a** (0.092 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-(benzyl(4-fluorophenyl)amino)-

1-phenylethyl benzoate **(4ag)** and 2-(benzyl(3-fluorophenyl)amino)-1-phenylethyl benzoate **(4ag')** as inseperable mixture of regioisomer in 6:1 ratio as yellow oil (0.152 g, 71% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.52; **^{1}H NMR (500 MHz, $CDCl_3$)** (The peaks of both the major and minor regioisomers are merged) δ 8.08-8.05 (m, 2H), 7.61-7.58 (m, 1H), 7.52-7.37 (m, 7H), 7.32-7.29 (m, 2H), 7.25-7.22 (m, 1H), 7.19-7.18 (m, 2H), 6.97-6.94 (m, 2H),6.84-6.61 (m, 2H), 6.40-6.39 (m, 1H), 4.64-4.57 (m, 1H), 4.40-4.35 (m, 1H), 4.17-4.11 (m, 1H), 3.79-3.75 (m, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** (Major Isomer **4ag**) δ 165.9, 155.8 (d, *J* = 235.5 Hz), 150.1, 145.0, 138.8, 138.2, 133.2, 130.5, 129.8, 128.8, 128.7, 128.6, 128.5, 115.7 (d, *J* = 21.8 Hz), 114.2 (d, *J* = 7.34 Hz), 74.4, 57.4, 55.3. **^{13}C NMR (125 MHz, $CDCl_3$)** (Minor Isomer **4ag'**) δ 165.8, 155.7 (d, *J* = 238.9 Hz), 150.2, 145.0, 138.6, 137.7, 133.2, 130.4, 130.1, 128.9, 128.8, 128.5, 108.4, 103.6 (d, *J* = 21.32 Hz), 99.5 (d, *J* = 26.6 Hz), 74.3, 56.7, 54.7. **HRMS (ESI)** calculated $[M+Na]^+$ for $C_{28}H_{24}O_2FNNa$: 448.1683, found: 448.1684. **FTIR (cm^{-1})** 3023, 2929, 2867, 1719, 1609, 1509, 1451, 1360, 1108, 1027, 815, 763.

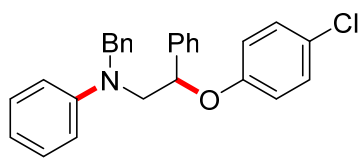
***N*-Benzyl-*N*-(2-phenoxy-2-phenylethyl)aniline (6a)**



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with phenol **5a** (0.71 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded *N*-benzyl-*N*-(2-phenoxy-2-phenylethyl)aniline as a white solid **6a** (0.114 g, 60% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.55; **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.48 (d, *J* = 7.4 Hz, 2H), 7.42 (t, *J* = 7.5 Hz, 2H), 7.36- 7.18 (m, 10H), 6.91 (t, *J* = 7.3 Hz, 1H), 6.86-6.83 (m, 4H), 6.77 (t, *J* = 7.2 Hz, 1H), 5.56 (dd, J_1 = 3.8, J_2 = 8.1 Hz, 1H), 4.83 (d, *J* = 17.4 Hz, 1H), 4.55 (d, *J* = 17.4 Hz, 1H), 4.01 (dd, J_1 = 8.2, J_2 = 15.5 Hz, 1H), 3.85 (dd, J_1 = 3.8, J_2 = 15.5 Hz, 1H). **^{13}C NMR (125 MHz, $CDCl_3$)** δ 158.0, 148.2, 139.9, 138.8, 129.5, 129.4, 129.0, 128.7, 128.1, 126.8, 126.6, 126.1, 121.0, 116.7, 116.0, 112.4, 78.7, 58.7, 55.1. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{27}H_{26}ON$: 380.2009, found: 380.2007. **FTIR (cm^{-1})** 3020, 2924, 2358, 1596, 1497, 1451, 1358, 1223, 1036, 958, 763, 697.

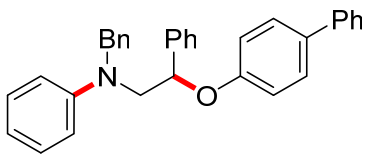
***N*-Benzyl-*N*-(2-(4-chlorophenoxy)-2-phenylethyl)aniline (6b)**



Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 4-chlorophenol **5b** (0.096 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 99/01) of the crude reaction mixture using silica gel afforded *N*-benzyl-*N*-(2-(4-chlorophenoxy)-2-phenylethyl)aniline as a white solid **6b** (0.120 g, 58% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.44; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.44-7.22 (m, 12H), 7.15-7.13 (m, 2H), 6.87-6.74 (m, 5H), 5.50-5.48 (m, 1H), 4.80 (d, J = 17.2 Hz, 1H), 4.56 (d, J = 17.2 Hz, 1H), 4.01 (dd, J_1 = 8.0 Hz, J_2 = 8.1, 1H), 3.85 (dd, J_1 = 3.7 Hz, J_2 = 11.5 Hz, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 156.6, 148.2, 139.4, 138.7, 129.5, 129.3, 129.1, 128.7, 128.3, 126.9, 126.7, 126.1, 125.9, 117.3, 116.9, 112.5, 79.3, 58.7, 55.2. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{27}H_{25}ONCl$: 414.1619, found: 414.1622. **FTIR (cm^{-1})** 3021, 2963, 2925, 1597, 1496, 1448, 1359, 1219, 1036, 921, 672, 554.

***N*-(2-([1,1'-Biphenyl]-4-yloxy)-2-phenylethyl)-*N*-benzylaniline (6c)**

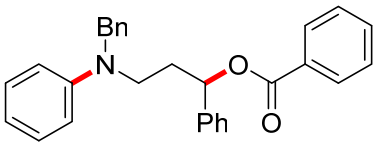


Following the general procedure, treatment of 1-benzyl-2-phenylaziridine **1a** (0.105 g, 0.5 mmol) and 2-(trimethylsilyl) phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with [1,1'-biphenyl]-4-ol **5c** (0.127 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /DCM = 90/10) of the crude reaction mixture using silica gel afforded *N*-(2-([1,1'-biphenyl]-4-yloxy)-2-phenylethyl)-*N*-benzylaniline as a white solid **6c** (0.130 g, 57% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.55; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.58-7.54 (m, 4H), 7.48-7.46 (m, 6H), 7.42-7.40 (m, 1H), 7.37-7.28 (m, 8H), 6.96-6.92 (m, 4H), 6.84 (t, J = 7.3 Hz, 1H), 5.66-5.65 (m, 1H), 4.88 (d, J = 17.2 Hz, 1H), 4.61 (d, J = 17.2 Hz, 1H), 4.08 (dd, J_1 = 8.1 Hz, J_2 = 15.3 Hz, 1H), 3.92 (dd, J_1 = 3.9 Hz, J_2 = 15.3 Hz, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 157.6, 140.8, 139.8, 134.1, 129.5, 129.0, 128.8, 128.7, 128.2, 128.1, 126.9, 126.8, 126.7, 126.1, 116.3,

112.6, 78.8, 58.8, 55.3. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{33}H_{30}ON$: 456.2322, found: 456.2324. **FTIR (cm^{-1})** 3021, 2405, 2358, 1601, 1501, 1359, 1218, 1037, 839, 769, 672.

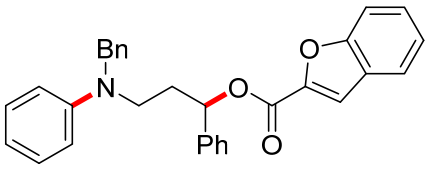
3-(Benzyl(phenyl)amino)-1-phenylpropyl benzoate (8a)



Following the general procedure, treatment of 1-benzyl-2-phenylazetidine **7** (0.112 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.092 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(benzyl(phenyl)amino)-1-phenylpropyl benzoate as a white solid **8a** (0.137 g, 65% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.40; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.13 (d, *J* = 7.5 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.51– 7.45 (m, 4H), 7.40 (t, *J* = 7.4 Hz, 2H), 7.36 - 7.30 (m, 3H), 7.27 - 7.19 (m, 5H), 6.73 (t, *J* = 8.0 Hz, 3H), 6.09 (dd, J_1 = 7.9 Hz, J_2 = 5.3 Hz, 1H), 4.56 (q, *J* = 16.9 Hz, 2H), 3.71 – 3.46 (m, 2H), 2.49 - 2.42 (m, 1H), 2.38 – 2.30 (m, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.9, 148.3, 140.3, 138.9, 133.2, 130.3, 129.8, 129.4, 128.7, 128.7, 128.6, 128.3, 127.0, 126.8, 126.4, 116.7, 112.6, 74.9, 54.8, 47.5, 33.8. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{29}H_{28}NO_2$: 422.2115, found: 422.2119. **FTIR (cm^{-1})** 3022, 2974, 2403, 1716, 1599, 1505, 1443, 1363, 1269, 1217, 1111, 926, 773.

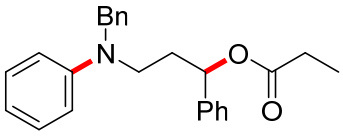
3-(Benzyl(phenyl)amino)-1-phenylpropyl benzofuran-2-carboxylate (8b)



Following the general procedure, treatment of 1-benzyl-2-phenylazetidine **7** (0.112 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzofuran-2-carboxylic acid **3l** (0.122 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(benzyl(phenyl)amino)-1-phenylpropyl benzofuran-2-carboxylate as a yellow oil **8b** (0.185 g, 80% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.45; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.72 (d, *J* = 7.8 Hz, 1H), 7.64 (d, *J* = 8.4 Hz, 1H), 7.59 (s, 1H), 7.51– 7.47 (m, 3H), 7.41 (t, *J* = 7.3 Hz, 2H), 7.37 – 7.30 (m, 4H), 7.25 - 7.20 (m, 5H), 6.75 – 6.73 (m, 3H), 6.13 (dd, J_1 = 7.6, J_2 = 5.5 Hz, 1H), 4.57 (q, *J* = 17.0 Hz, 2H), 3.73 – 3.47 (m, 2H), 2.62 – 2.42 (m, 1H), 2.41 – 2.27 (m, 1H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 159.0, 155.9, 148.3, 145.5, 139.6, 138.8, 130.9, 129.4, 128.8, 128.7, 128.5, 127.8, 127.0, 127.0, 126.8, 126.6, 123.9, 122.9, 116.8, 114.3, 112.7, 112.5, 75.4, 54.9, 47.4, 33.7, 19.6. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{31}H_{28}NO_3$: 462.2064, found: 460.2068. **FTIR (cm^{-1})** 3021, 2966, 2404, 1722, 1601, 1504, 1448, 1361, 1295, 1217, 1180, 916, 768.

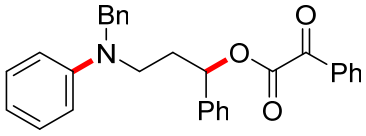
3-(Benzyl(phenyl)amino)-1-phenylpropyl propionate (8c)



Following the general procedure, treatment of 1-benzyl-2-phenylazetidine **7** (0.112 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with propionic acid **3o** (0.056 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(benzyl(phenyl)amino)-1-phenylpropyl propionate as a colorless oil, **8c** (0.153 g, 82% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.44; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.47 – 7.39 (m, 7H), 7.35 – 7.27 (m, 5H), 6.82 - 6.75 (m, *J* = 18.2, 3H), 5.93 (dd, J_1 = 7.9 Hz, J_2 = 5.5 Hz, 1H), 4.60 (q, *J* = 17.0, 2H), 3.64 – 3.47 (m, 2H), 2.50 – 2.34 (m, 3H), 2.31– 2.22 (m, 1H), 1.25 (t, *J* = 7.6 Hz, 3H).**^{13}C NMR (100 MHz, $CDCl_3$)** δ 173.7, 148.3, 140.4, 138.9, 129.3, 128.6, 128.1, 126.9, 126.7, 126.4, 116.6, 112.5, 74.0, 54.7, 47.4, 33.6, 27.9, 9.2. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{25}H_{28}NO_2$: 374.2115, found: 374.2118. **FTIR (cm^{-1})** 3022, 2407, 1717, 1598, 1502, 1451, 1362, 1269, 1219, 1109, 1032, 768.

3-(Benzyl(phenyl)amino)-1-phenylpropyl 2-oxo-2-phenylacetate (8d)

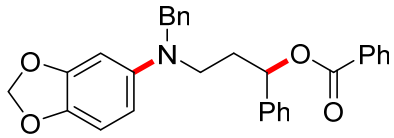


Following the general procedure, treatment of 1-benzyl-2-phenylazetidine **7** (0.112 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with 2-oxo-2-phenylacetic acid **3m** (0.113

g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(benzyl(phenyl)amino)-1-phenylpropyl 2-oxo-2-phenylacetate as a yellow oil **8d** (0.173 g, 77% yield).

***R*f** (Pet. ether /EtOAc = 95/05): 0.41; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.76 (d, *J* = 7.7 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.33 – 7.21 (m, 7H), 7.19 – 7.15 (m, 2H), 7.12 – 7.03 (m, 5H), 6.59 - 6.54 (m, 3H), 5.94 (dd, J_1 = 7.9 Hz, J_1 = 5.6 Hz, 1H), 4.40 (q, *J* = 17.1 Hz 2H), 3.48 - 3.33 (m, 2H), 2.38 – 2.23 (m, 1H), 2.22 – 2.10 (m, 1H). **^{13}C NMR (100 MHz, CDCl$_3$)** δ 186.2, 163.4, 148.2, 138.9, 138.7, 135.0, 132.4, 130.0, 129.4, 129.0, 128.9, 128.8, 128.7, 127.0, 126.7, 126.66, 116.9, 112.7, 76.7, 54.9, 47.4, 33.6. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{30}H_{28}NO_3$: 450.2064, found: 450.2067. **FTIR (cm^{-1})** 3022, 2972, 2403, 1736, 1689, 1598, 1504, 1448, 1213, 986, 919, 769.

3-(Benzo[*d*][1,3]dioxol-5-yl(benzyl)amino)-1-phenylpropyl benzoate (8e)

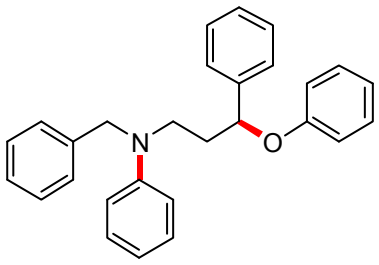


Following the general procedure, treatment of 1-benzyl-2-phenylazetidine **7** (0.112 g, 0.5 mmol) and 6-(trimethylsilyl)benzo[*d*][1,3]dioxol-5-yl trifluoromethanesulfonate **2ac** (0.257 g, 0.75 mmol) with benzoic acid **3a** (0.092 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(benzo[*d*][1,3]dioxol-5-yl(benzyl)amino)-1-phenylpropyl benzoate as a yellow oil **8e** (0.142 g, 61% yield).

Yellow oil (0.142 g, 61%). ***R*f** (Pet. ether /EtOAc = 95/05): 0.30; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.10 (d, *J* = 7.7 Hz, 2H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.49 (t, *J* = 7.6 Hz, 2H), 7.44 – 7.37(m, 4H), 7.35 - 7.29 (m, 3H), 7.24 (t, *J* = 6.3 Hz, 3H), 6.68 (d, *J* = 8.5 Hz, 1H), 6.40 (d, *J* = 2.0 Hz, 1H), 6.17 (dd, J_1 = 8.5 Hz, J_2 = 2.1 Hz, 1H), 6.06 (dd, J_1 = 8.1 Hz, J_2 = 5.3 Hz, 1H), 5.88 (s, 2H), 4.44 (q, *J* = 7.6 Hz., 2H), 3.53 - 3.38 (m, 2H), 2.48 – 2.34 (m, 1H), 2.34 – 2.20 (m, 1H). **^{13}C NMR (100 MHz, CDCl$_3$)** δ 165.9, 148.6, 144.7, 140.4, 139.6, 138.9, 133.2, 130.3, 129.8, 128.7, 128.6, 128.5, 128.2, 127.1, 127.0, 126.4, 108.6, 106.1, 100.7, 97.1, 74.9, 56.3, 48.4, 33.9. **HRMS**

(ESI) calculated $[M+H]^+$ for $C_{30}H_{28}NO_4$: 466.2013, found: 466.2009. **FTIR (cm^{-1})** 3022, 2925, 2404, 1719, 1600, 1499, 1450, 1364, 1269, 1217, 1107, 926, 769.

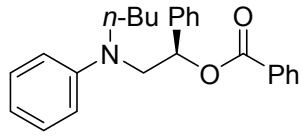
***N*-Benzyl-*N*-(3-phenoxy-3-phenylpropyl)aniline (8f)**



Following the general procedure, treatment of 1-benzyl-2-phenylazetidine **1a** (0.112 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with phenol **5a** (0.71 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 99.5/0.5) of the crude reaction mixture using silica gel afforded *N*-benzyl-*N*-(3-phenoxy-3-phenylpropyl)aniline as colourless oil **8f** (0.112 g, 57% yield).

***R*f** (Pet. ether /EtOAc = 98/02): 05; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.37 - 7.31 (m, 6H), 7.30 - 7.27 (m, 2H), 7.25 - 7.18 (m, 6H), 6.92 (t, J = 7.3 Hz, 1H), 6.87 (d, J = 8.1 Hz, 2H), 6.75 - 6.70 (m, 3H), 5.22 (dd, J_1 = 8.3 Hz, J_2 = 4.2 Hz, 1H), 4.58 (q, J = 16.8 Hz, 2H), 3.73 - 3.68 (m, 2H), 2.34 - 2.25 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 158.11, 148.50, 141.75, 139.05, 129.47, 129.36, 128.78, 128.69, 127.69, 126.90, 126.72, 125.87, 120.94, 116.43, 115.97, 112.44, 77.96, 54.79, 47.87, 36.55. **HRMS (ESI)** calculated $[M+H]^+$ for $C_{28}H_{28}NO$: 394.2165, found: 394.2170. **FTIR (cm^{-1})** 3019, 2979, 2401, 1732, 1599, 1506, 1453, 1357, 1274, 1216, 1029, 766.

(*R*)-2-(Butyl(phenyl)amino)-1-phenylethyl benzoate ((*R*)-4r)



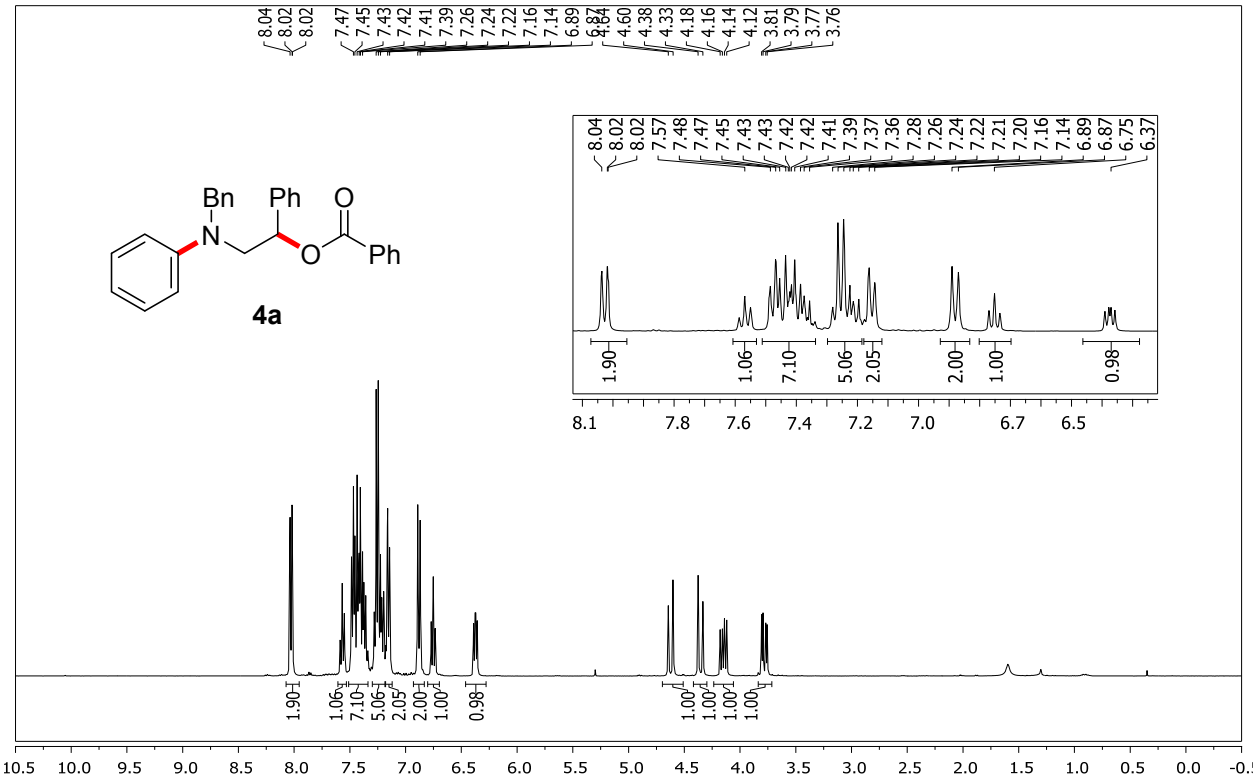
Following the general procedure, treatment of (*S*)-1-butyl-2-phenylaziridine[3] **(*S*)-1r** (0.088 g, 0.5 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 µL, 0.75 mmol) with benzoic acid **3a** (0.092 g, 0.75 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at -10 °C to rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 99/1) of the crude reaction mixture using silica gel afforded (*R*)-2-(butyl(phenyl)amino)-1-phenylethyl benzoate as a colourless viscous solid **((*R*)-4r)** (0.150 g, 81% yield).

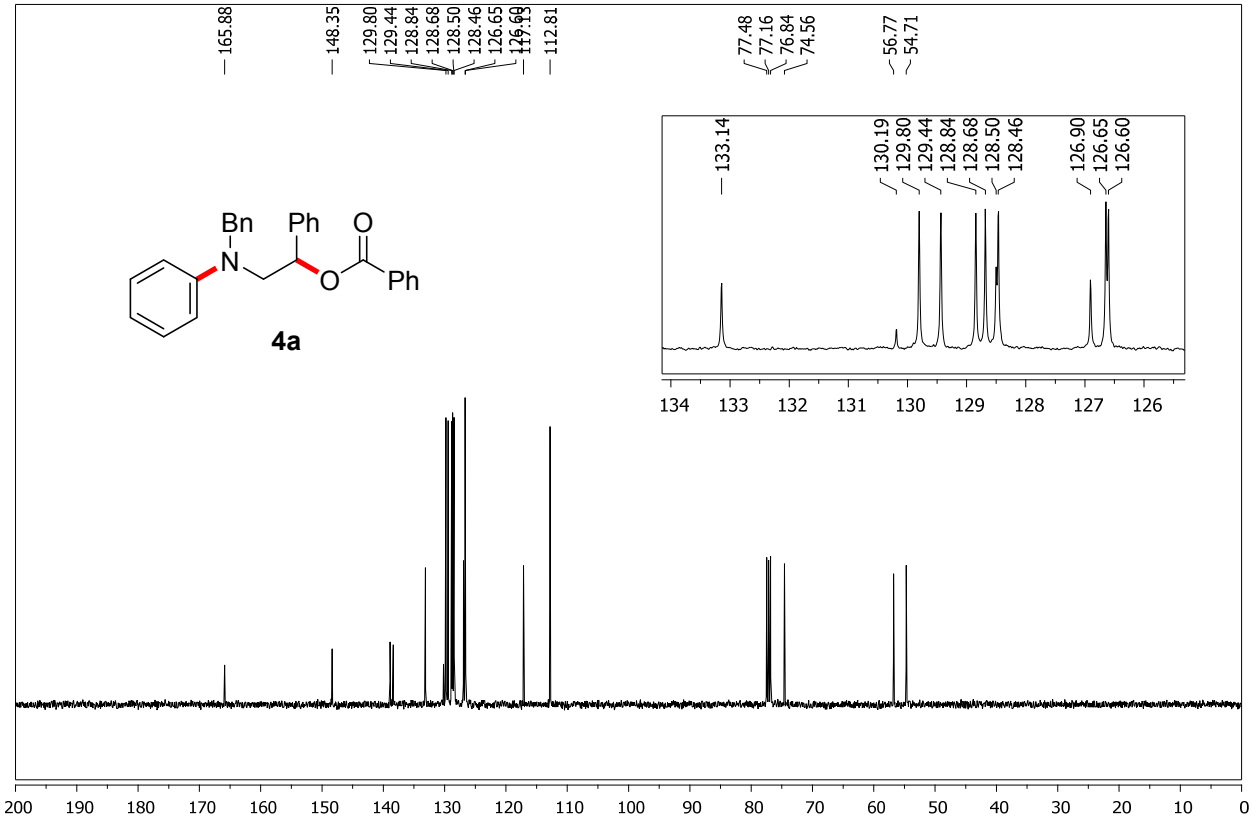
[3] Y. Du, Y. Wu, A. H. Liu, and L. N. He, *J. Org. Chem.,* 2008, **73**, 4709.

***R*f** (Pet. ether /EtOAc = 95/05): 0.61; er = 99:1; $[\alpha]_D^{26}$ = -1.91 (c 1.0, $CHCl_3$). **HPLC** (kromasil 5-Amycoat, 80:20 Pet.ether / IPA, 0.7 mL/min) *Major*: 7.7 min, *Minor*: 7.0 min. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.10 (d, *J* = 7.9 Hz, 2H, H_{ar}), 7.59 (t, *J* = 7.7 Hz, 1H, H_{ar}), 7.53-7.37 (m, 7H, H_{ar}), 7.30 (t, *J* = 7.3 Hz, 2H, H_{ar}), 6.87 (d, *J* = 8.1 Hz, 2H, H_{ar}), 6.76 (t, *J* = 7.3 Hz, 1H, H_{ar}), 6.30 (t, *J* = 6.7 Hz, 1H), 4.04 (dd, J_1 = 7.9 Hz, J_2 = 15.1Hz, 1H), 3.68 (dd, J_1 = 5.2 Hz, J_2 = 15.0 Hz, 1H), 3.37-3.30 (m, 1H), 3.18-3.11 (m, 1H), 1.58-1.50 (m, 2H), 1.37-1.28 (m, 2H), 0.93 (t, *J* = 7.3 Hz, 3H)). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.83, 147.88, 139.01, 133.12, 130.23, 129.78, 129.40, 128.73, 128.45, 128.35, 126.56, 116.32, 112.40, 74.47, 56.84, 51.34, 28.87, 20.34, 14.01. **FTIR (cm^{-1})** 3023, 2959, 2873, 1718, 1598, 1505, 1451, 1268, 1196, 1177, 1069, 705.

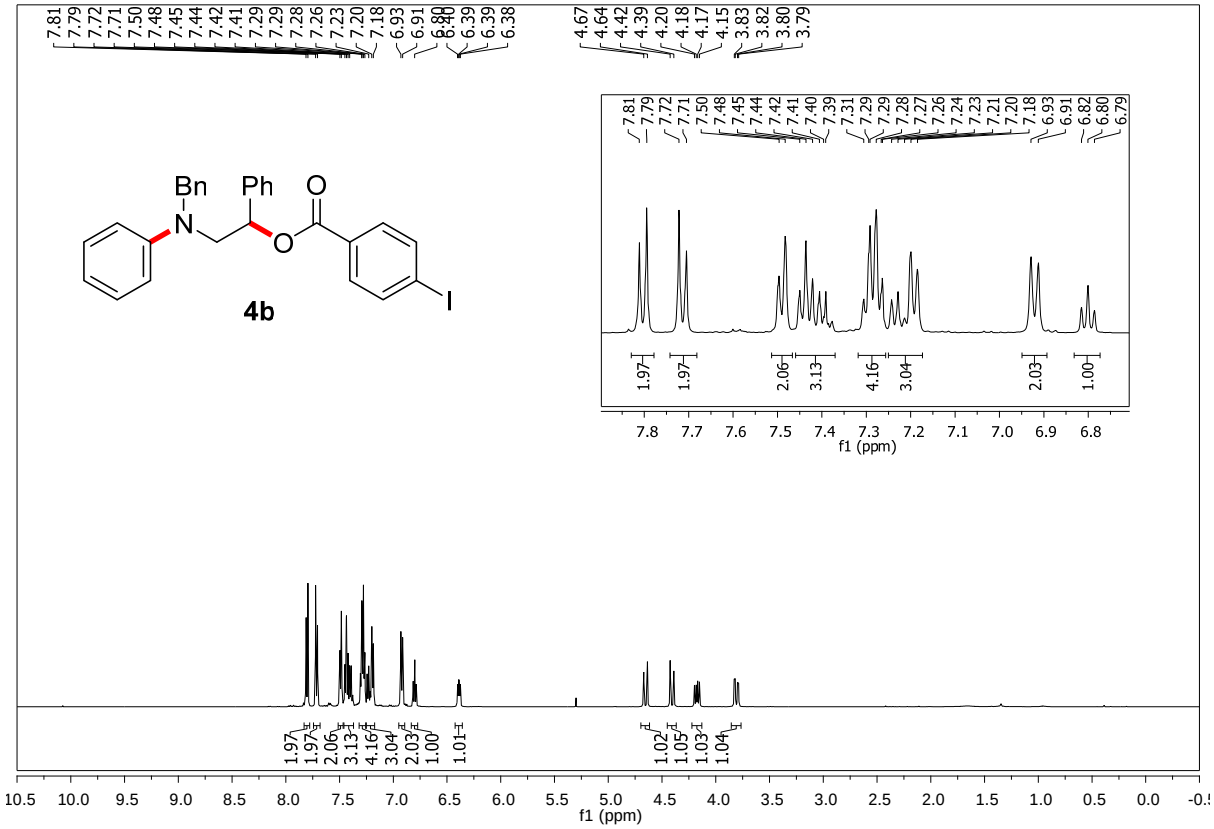
8. ^{1}H and ^{13}C NMR Spectra of *N*-Aryl β/γ-Amino Alcohol Derivatives

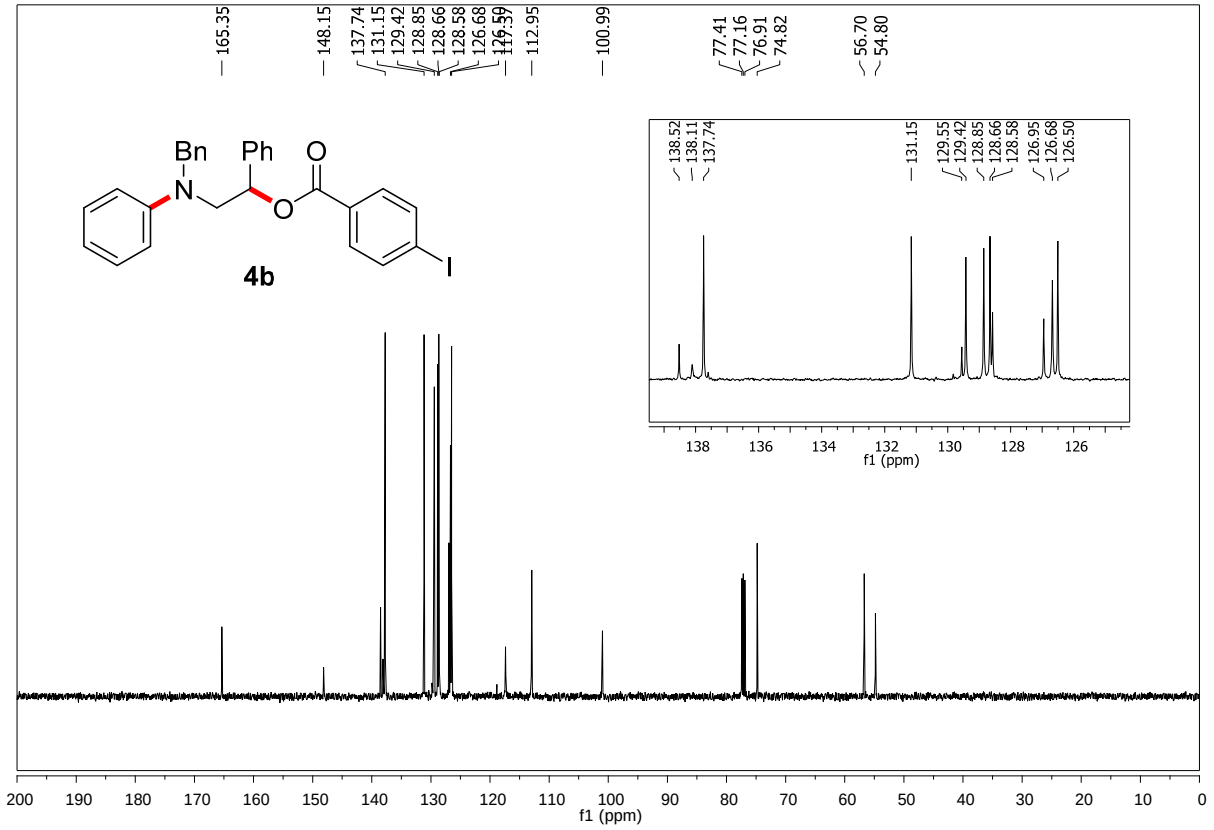
2-(Benzyl(phenyl)amino)-1-phenylethyl benzoate (4a)



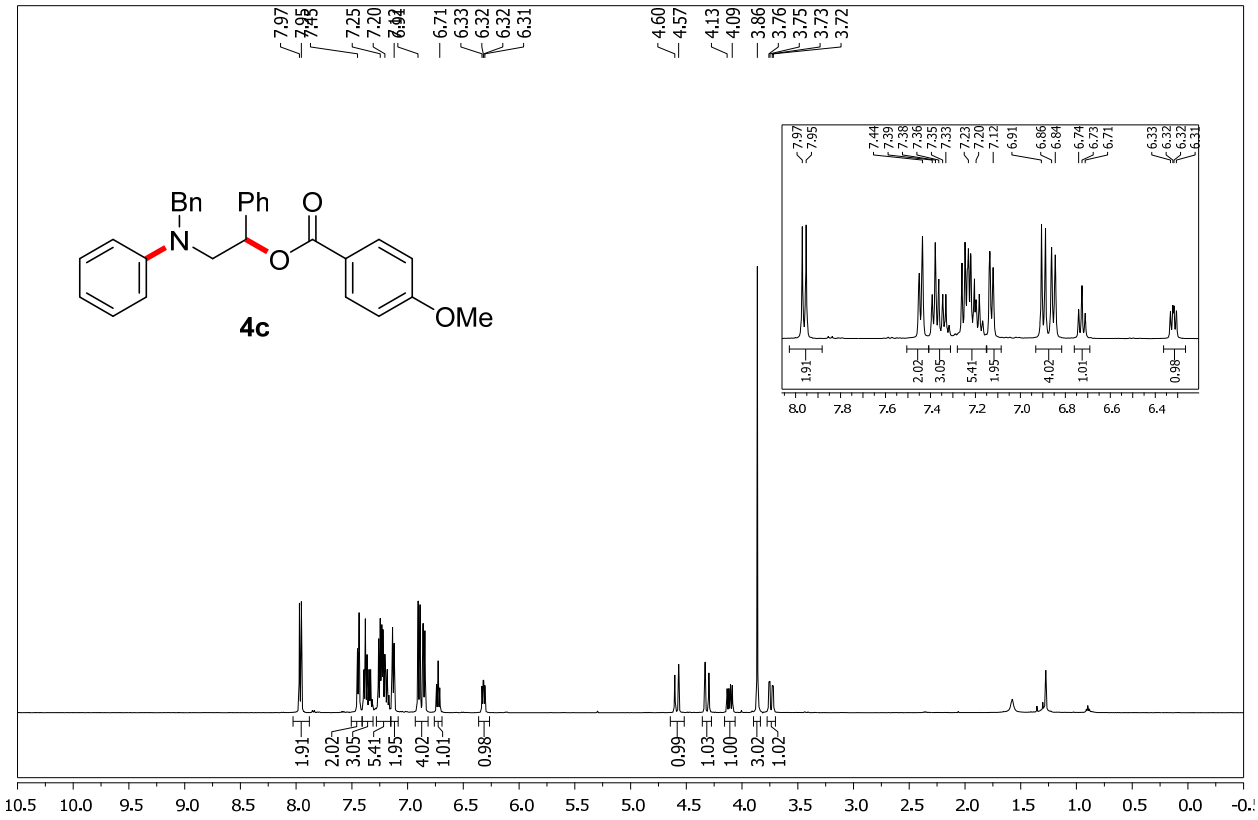


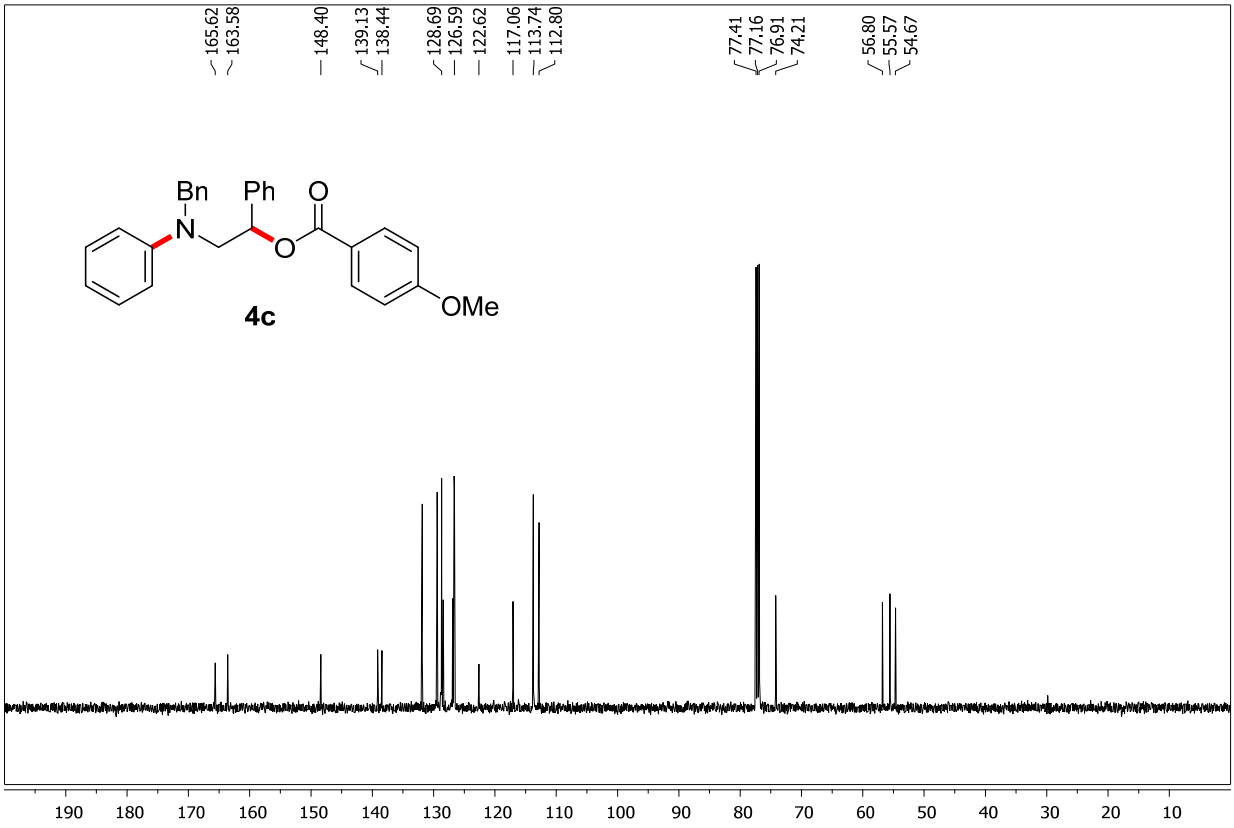
2-(Benzyl(phenyl)amino)-1-phenylethyl 4-iodobenzoate (4b)



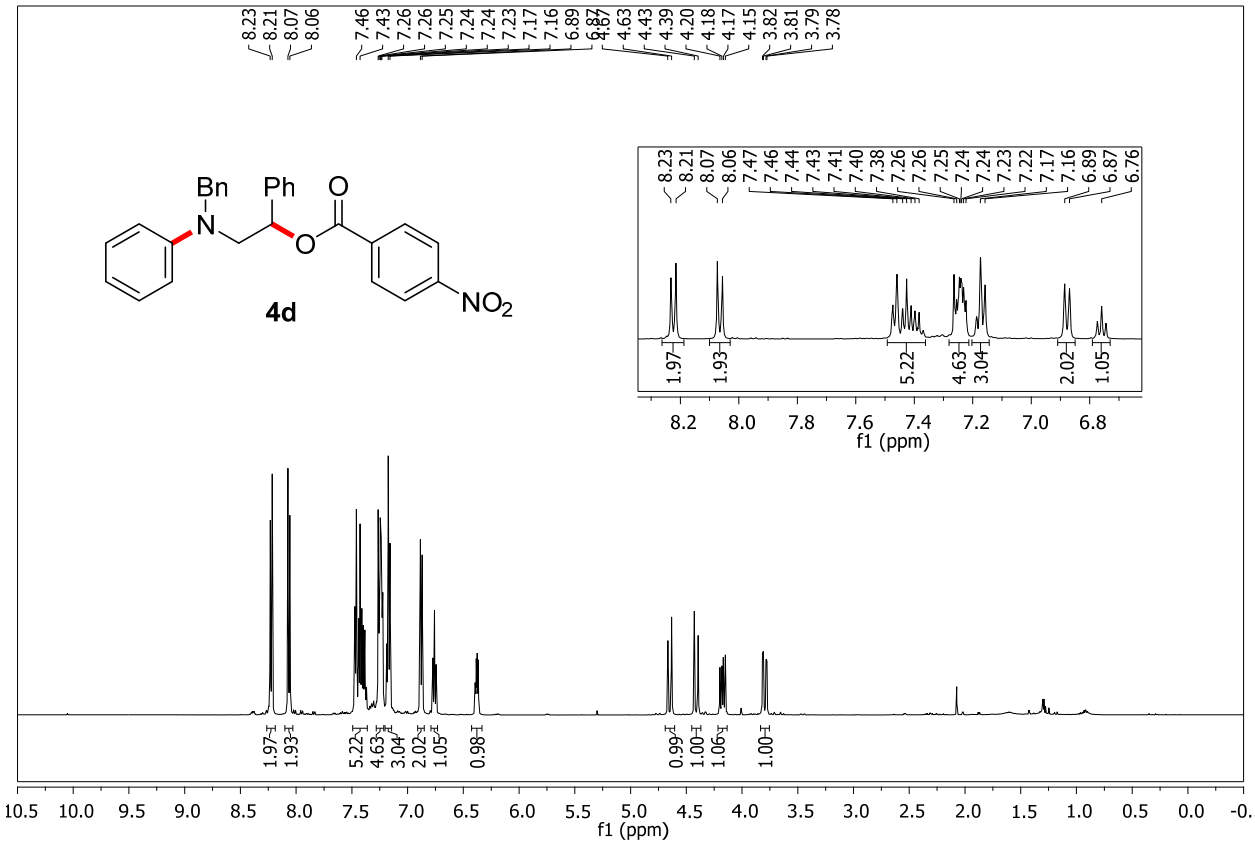


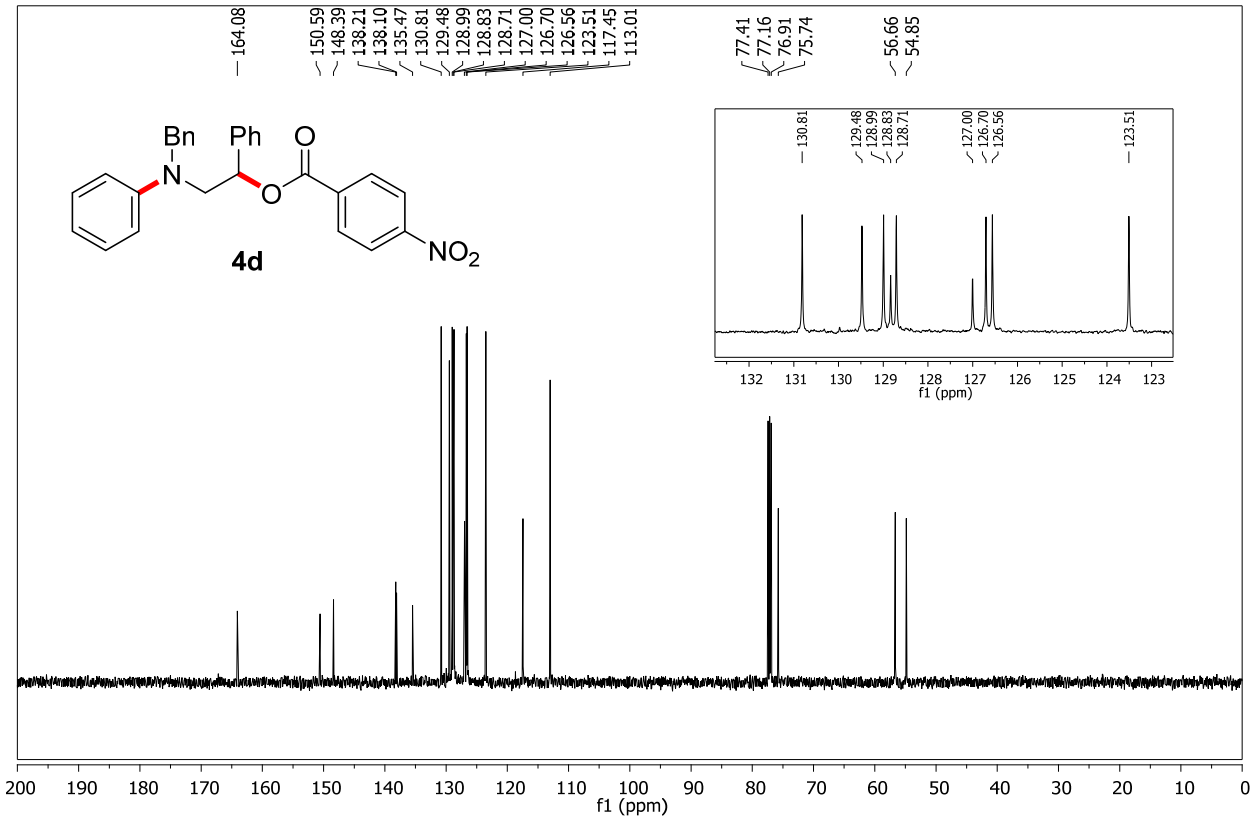
2-(Benzyl(phenyl)amino)-1-phenylethyl 4-methoxybenzoate (4c)



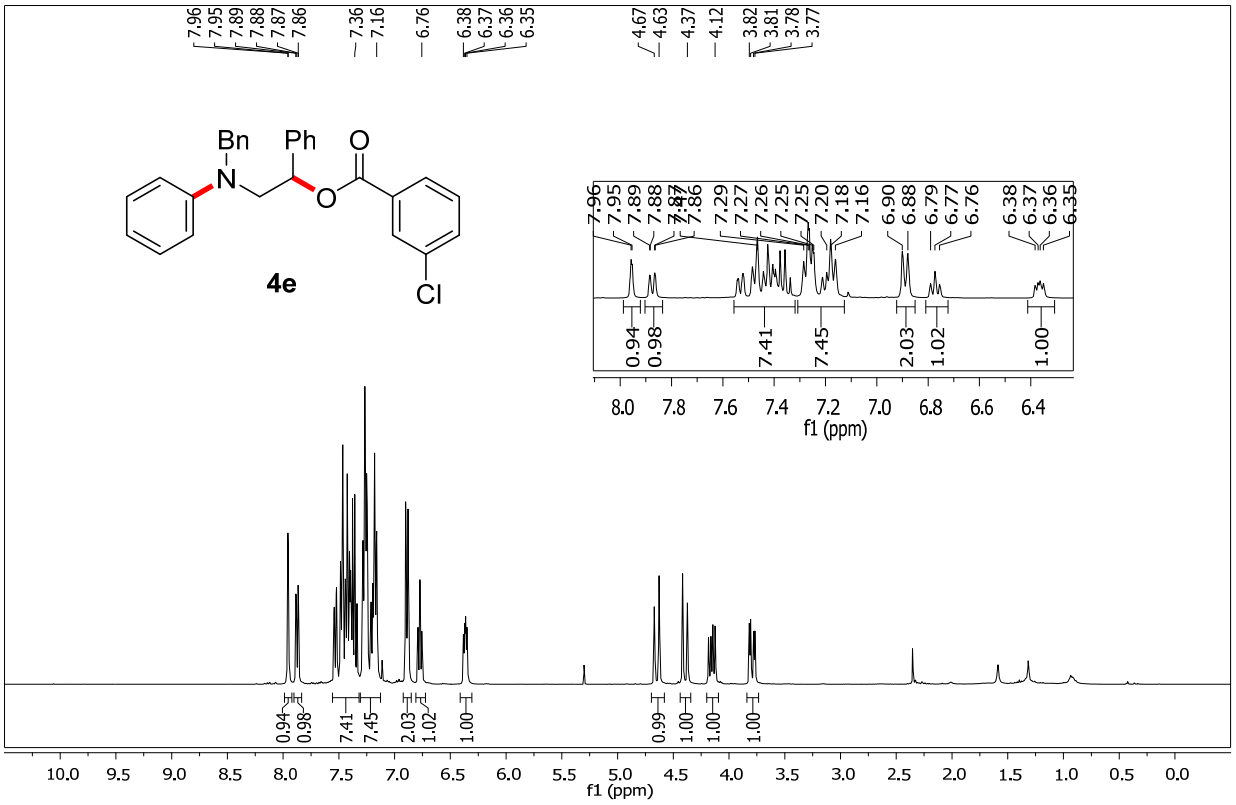


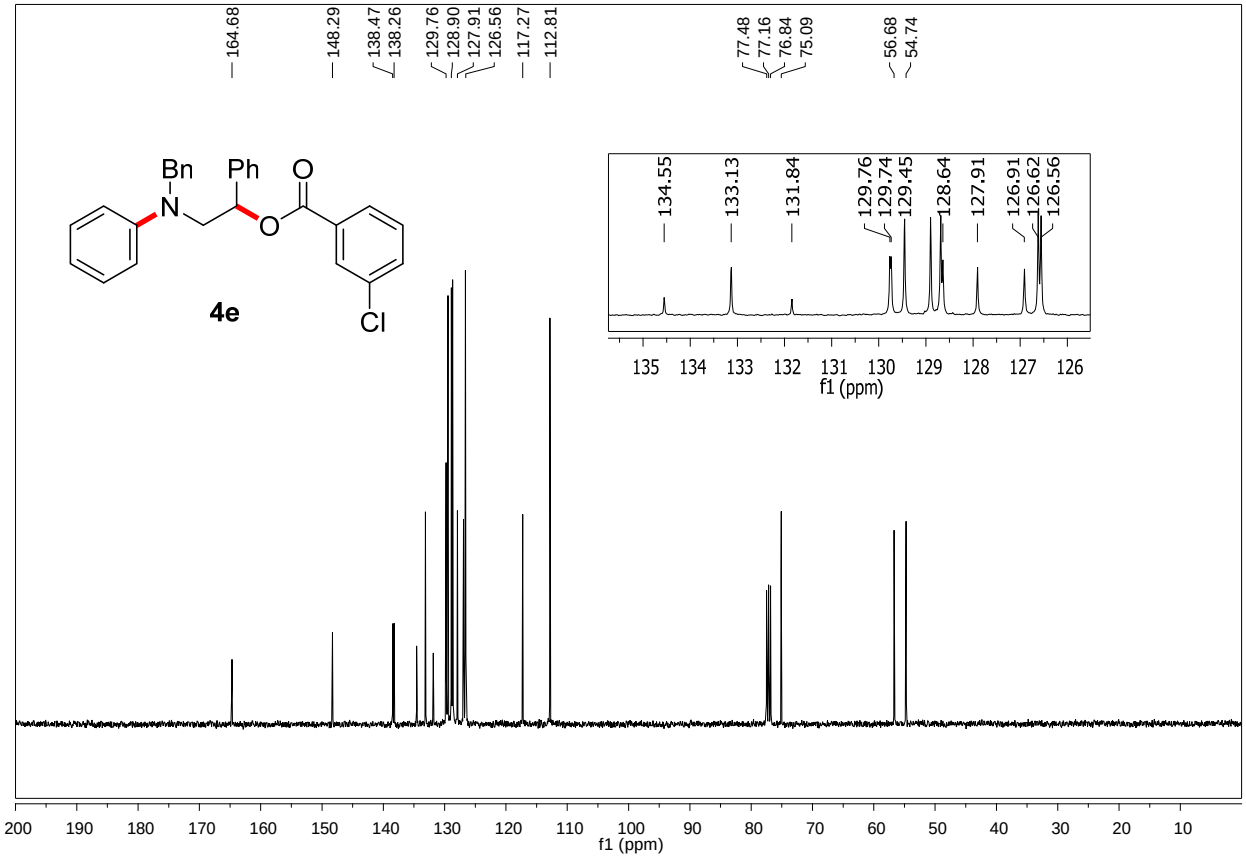
2-(Benzyl(phenyl)amino)-1-phenylethyl 4-nitrobenzoate (4d)



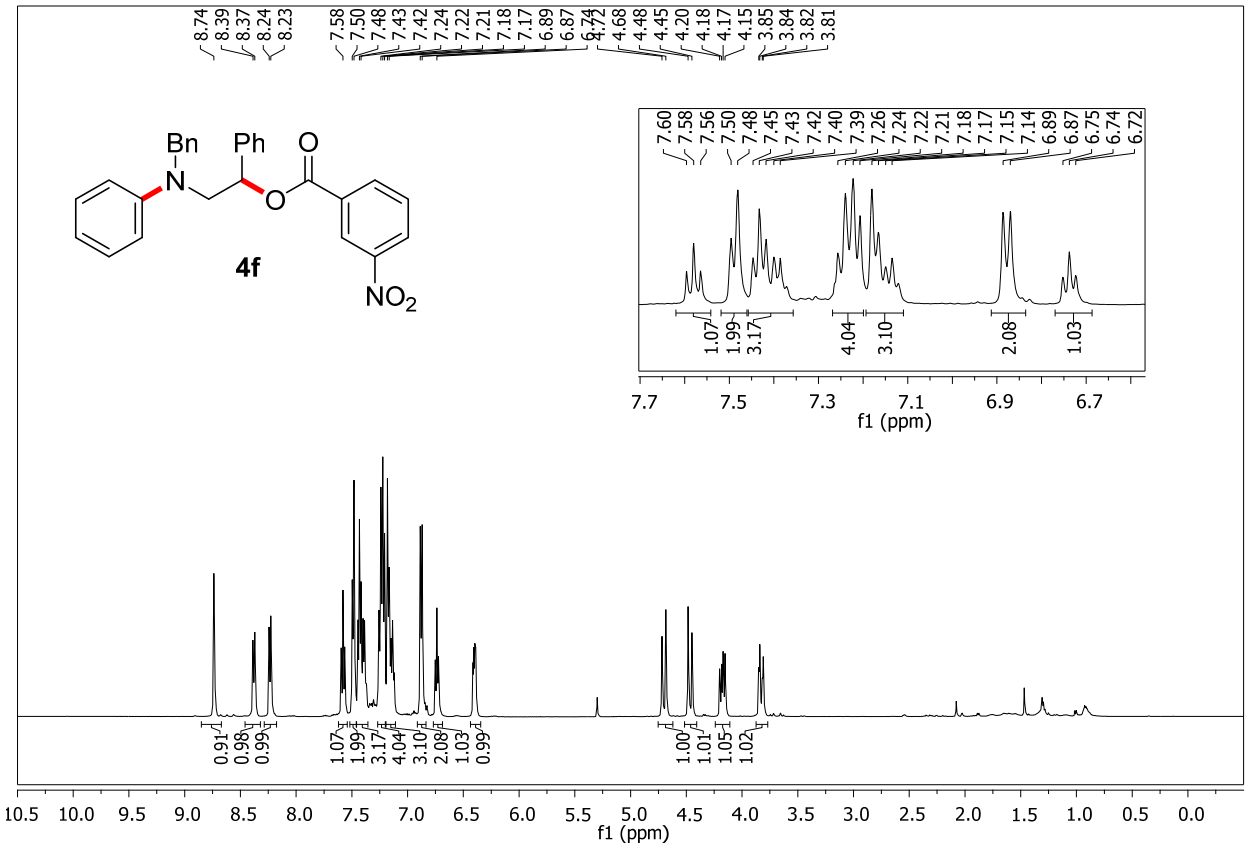


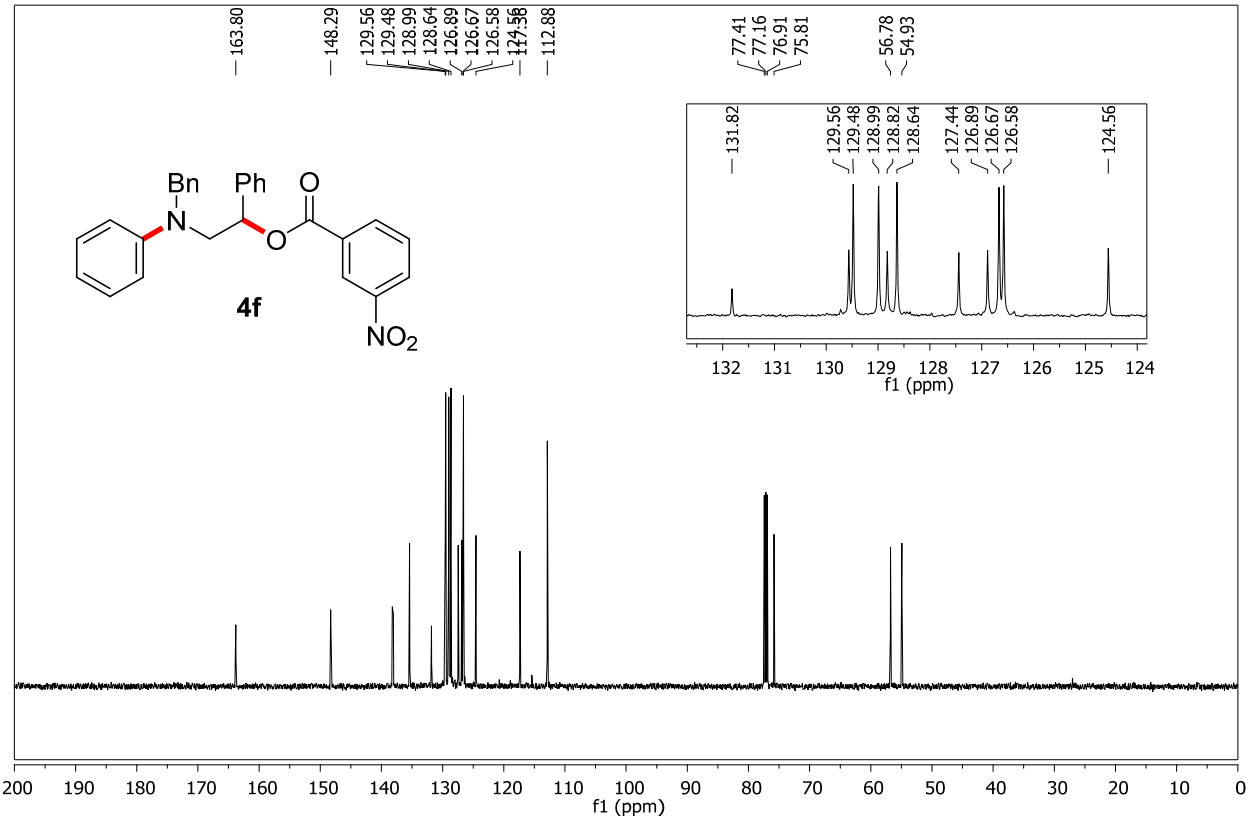
2-(Benzyl(phenyl)amino)-1-phenylethyl 3-chlorobenzoate (4e)



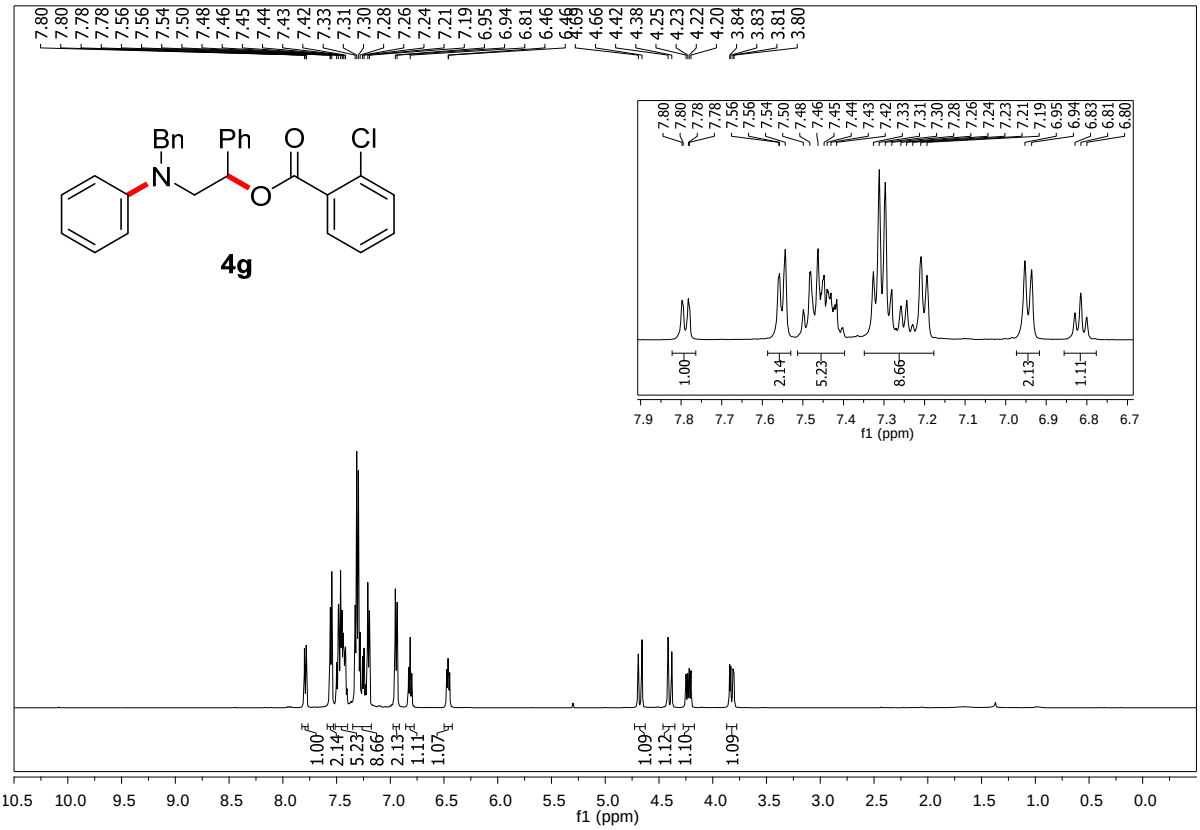


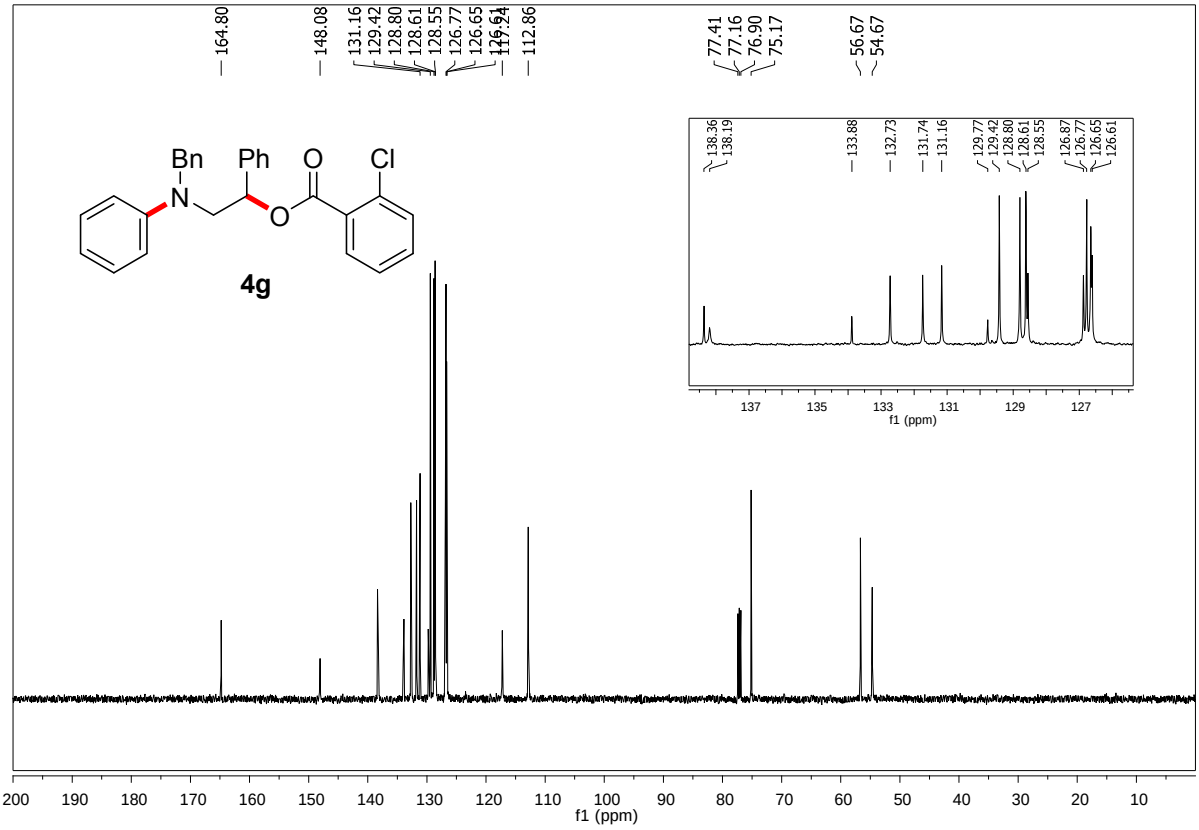
2-(Benzyl(phenyl)amino)-1-phenylethyl 3-nitrobenzoate (4f)



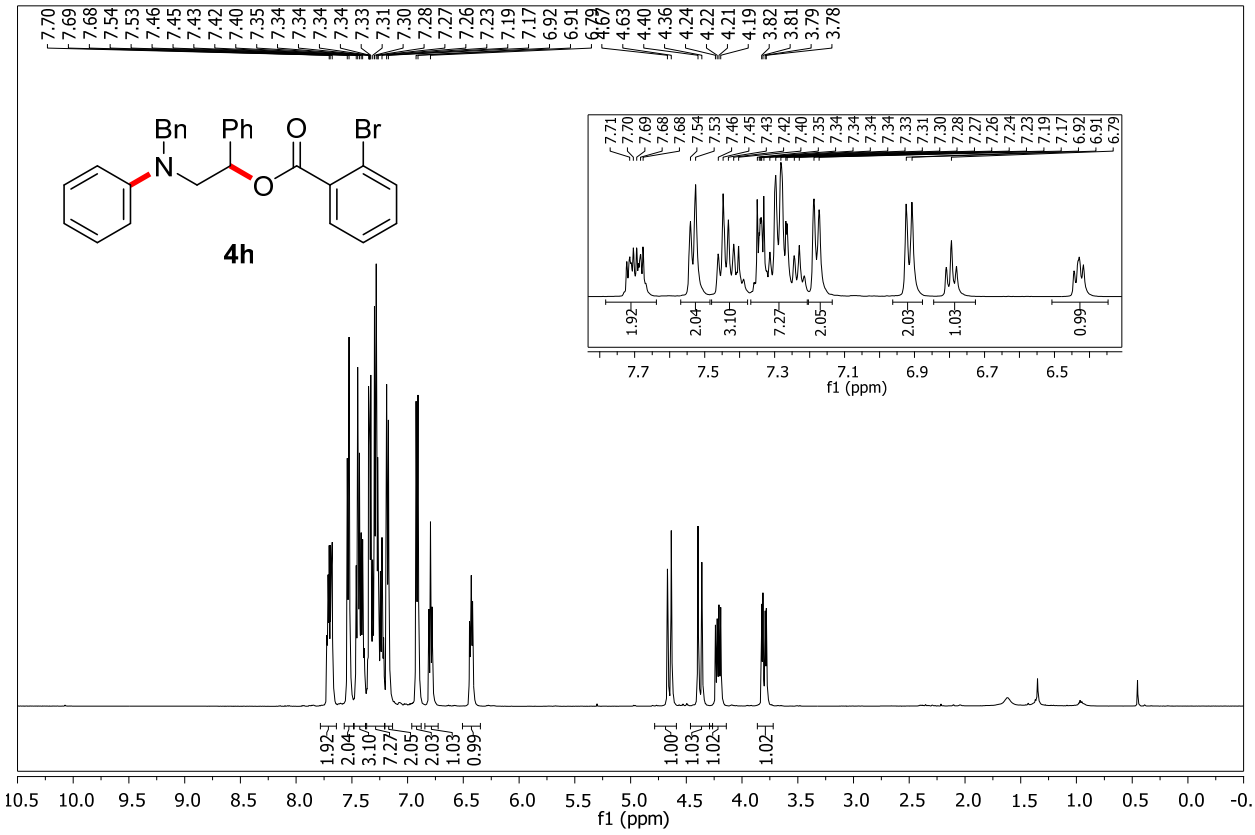


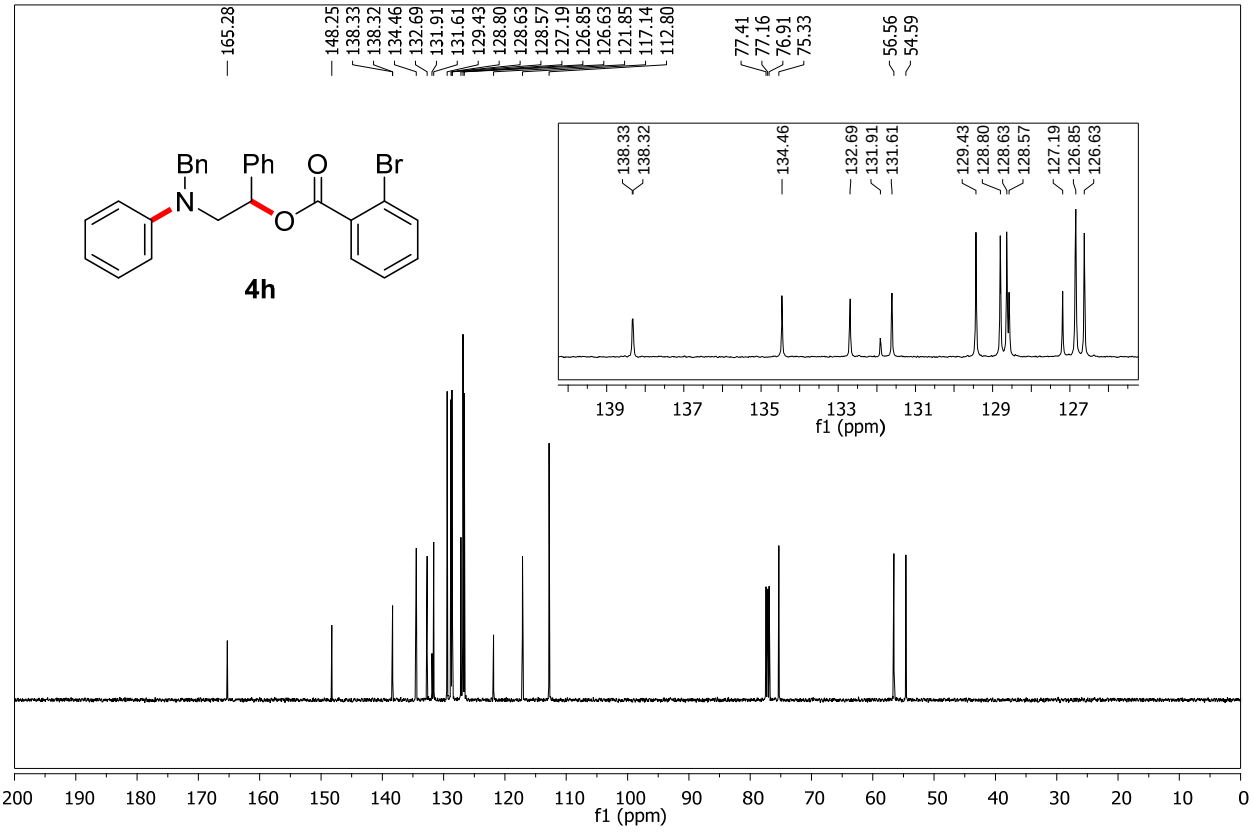
2-(Benzyl(phenyl)amino)-1-phenylethyl 2-chlorobenzoate (4g)



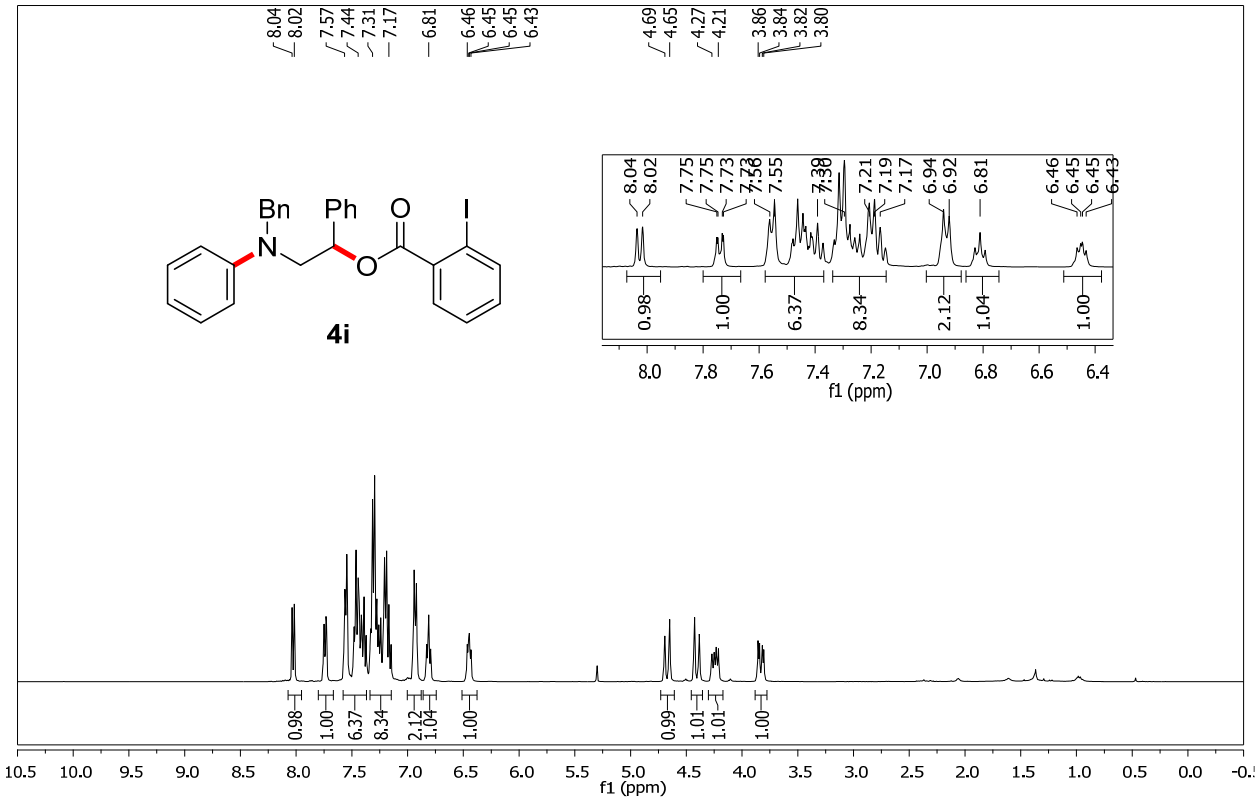


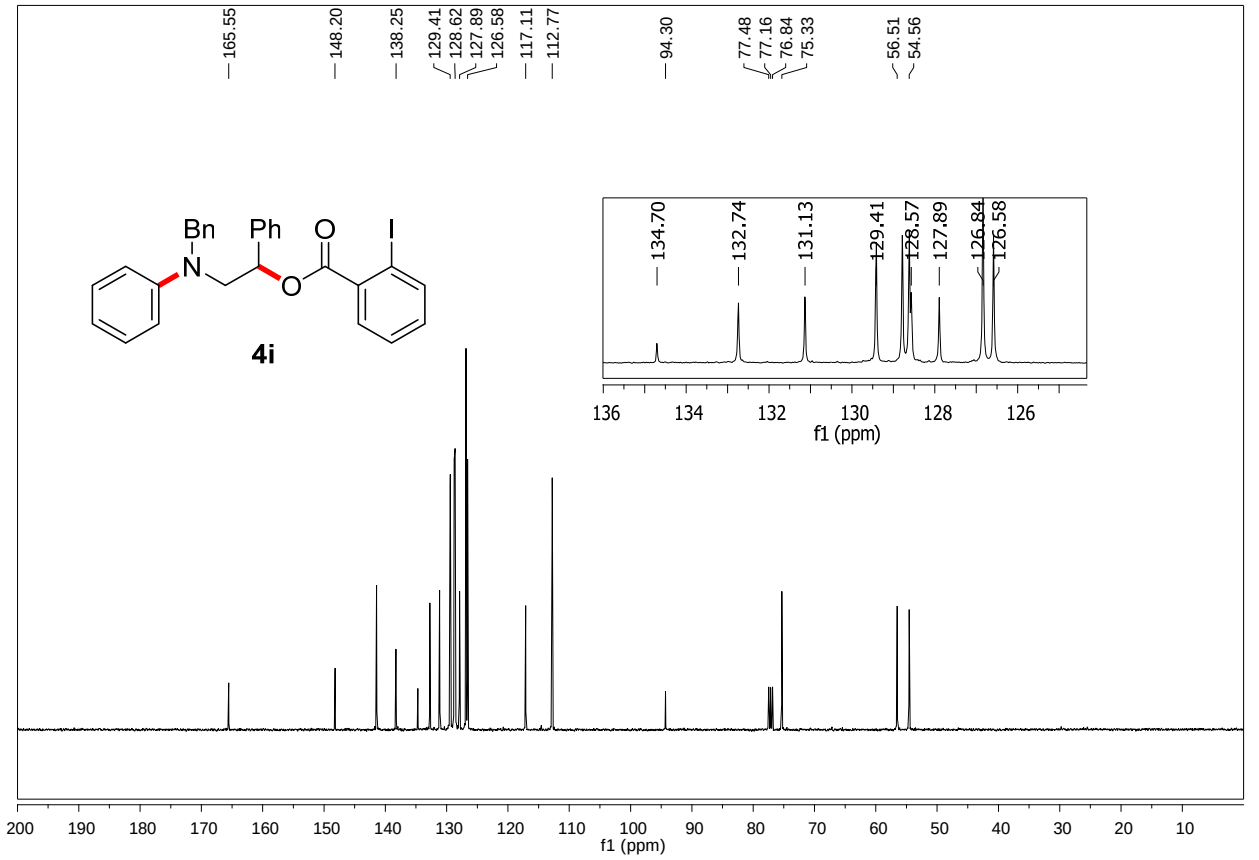
2-(Benzyl(phenyl)amino)-1-phenylethyl 2-bromobenzoate (4h)



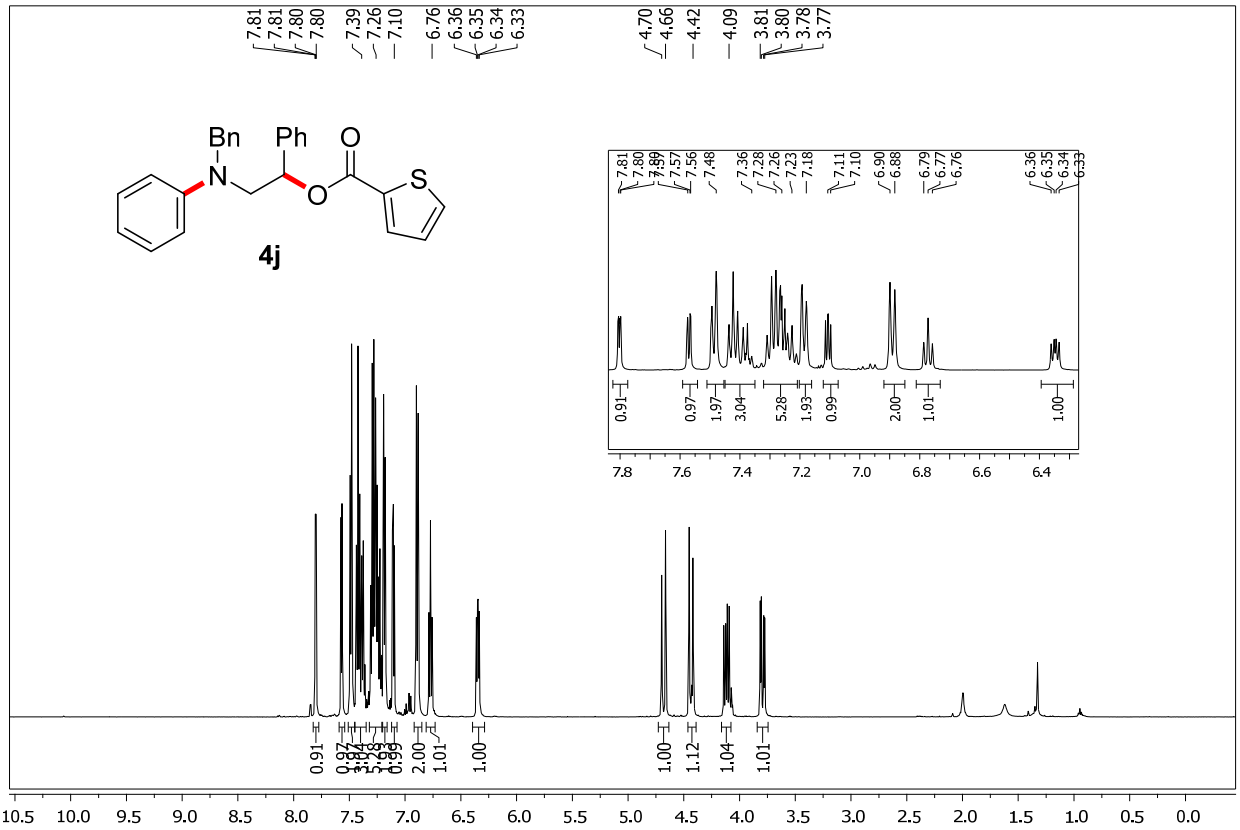


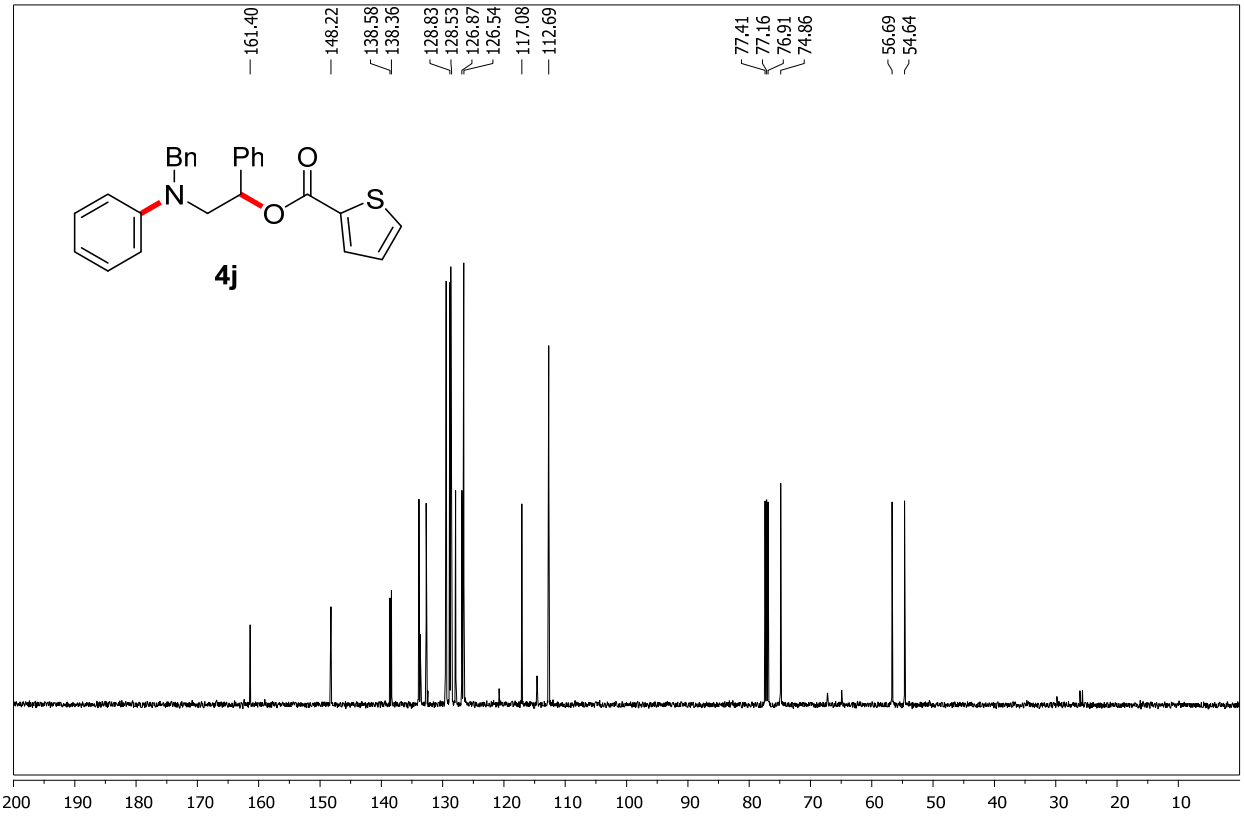
2-(Benzyl(phenyl)amino)-1-phenylethyl 2-iodobenzoate (4i)



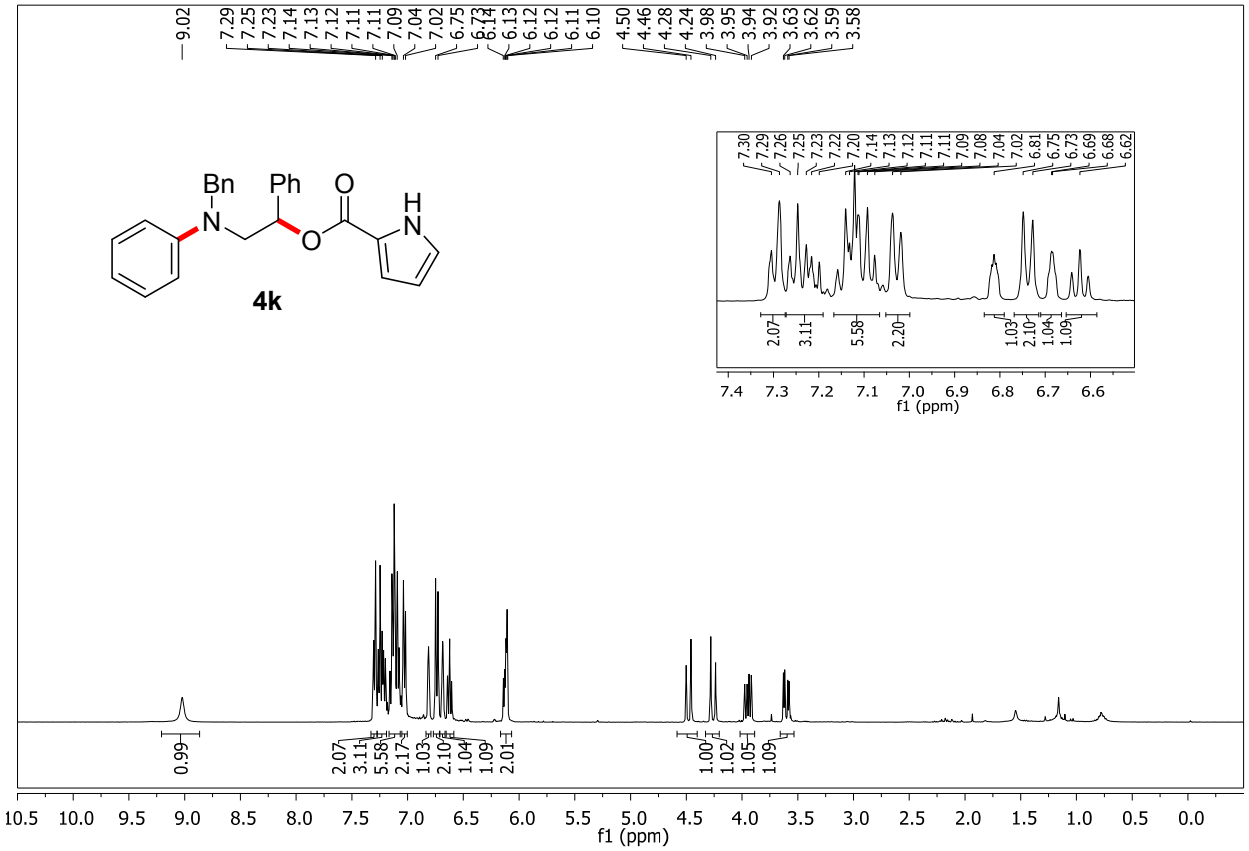


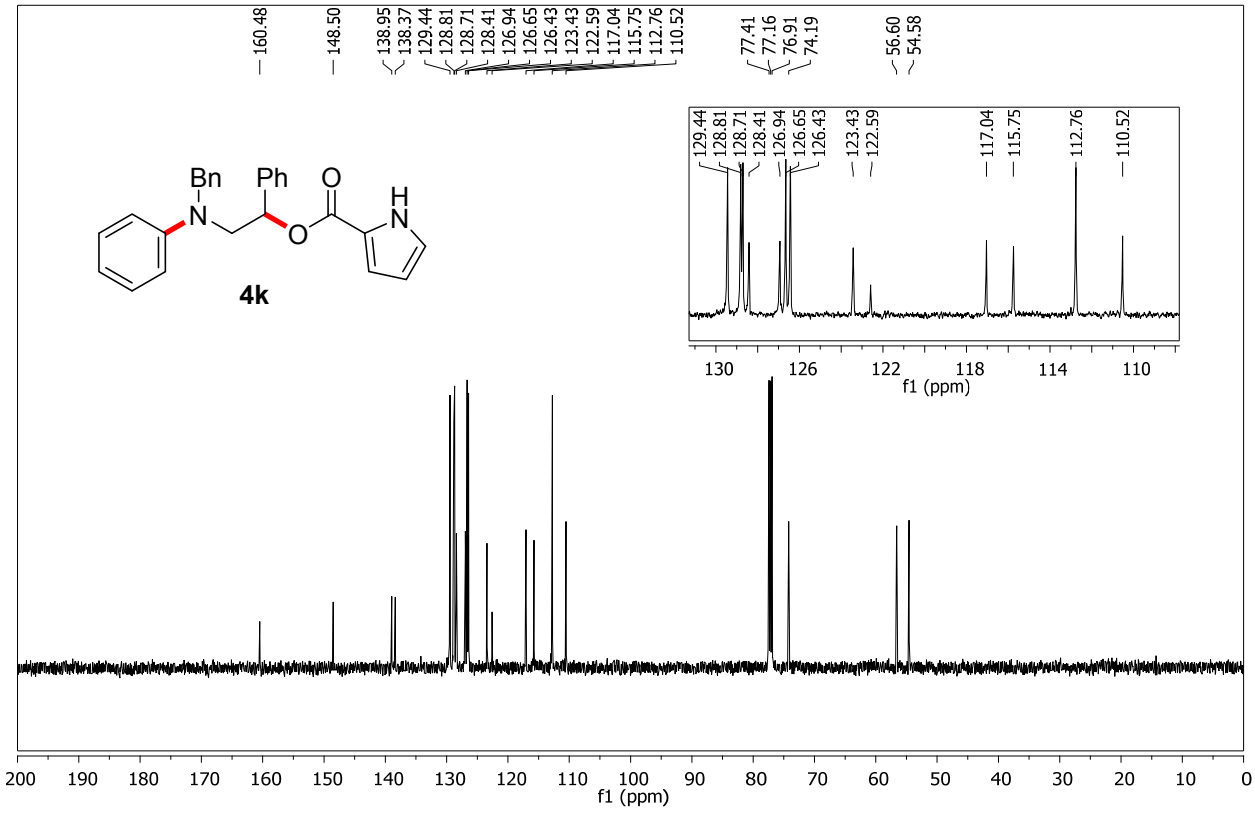
2-(Benzyl(phenyl)amino)-1-phenylethyl thiophene-2-carboxylate (4j)



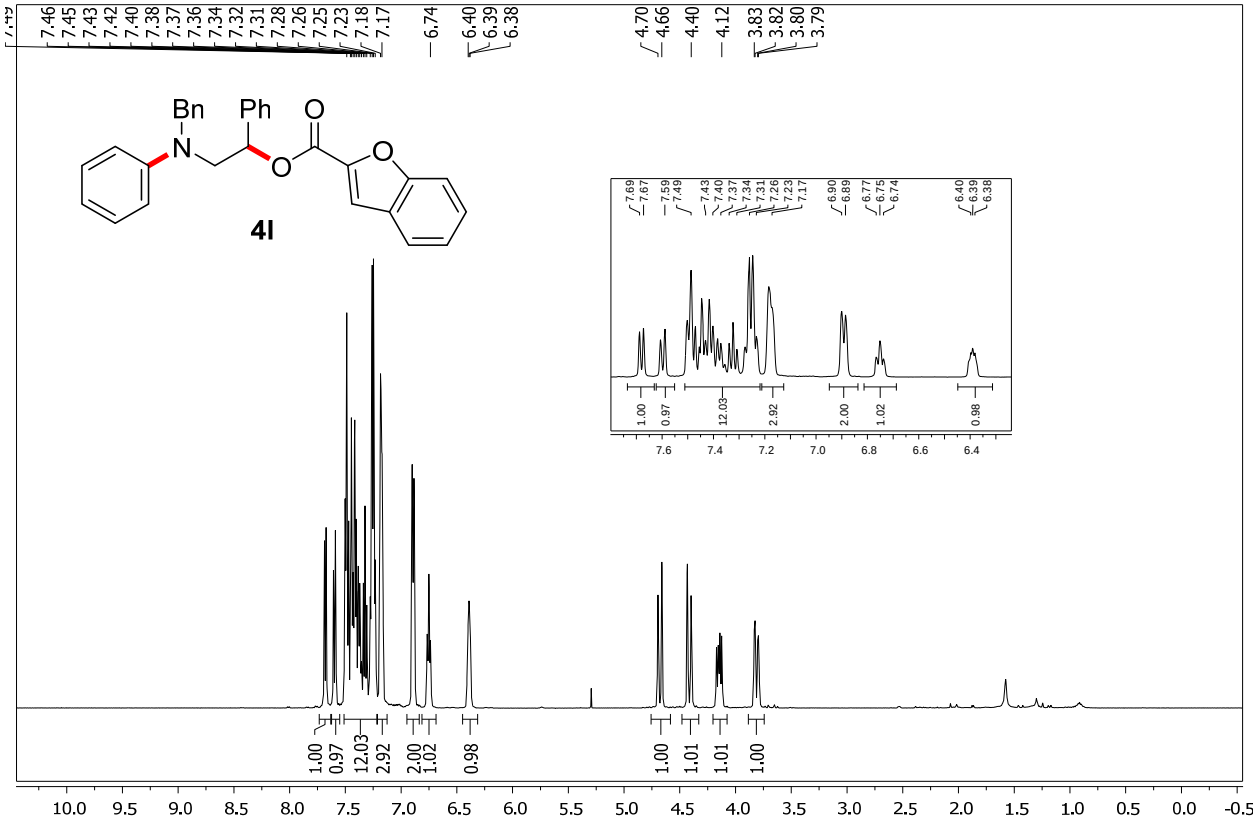


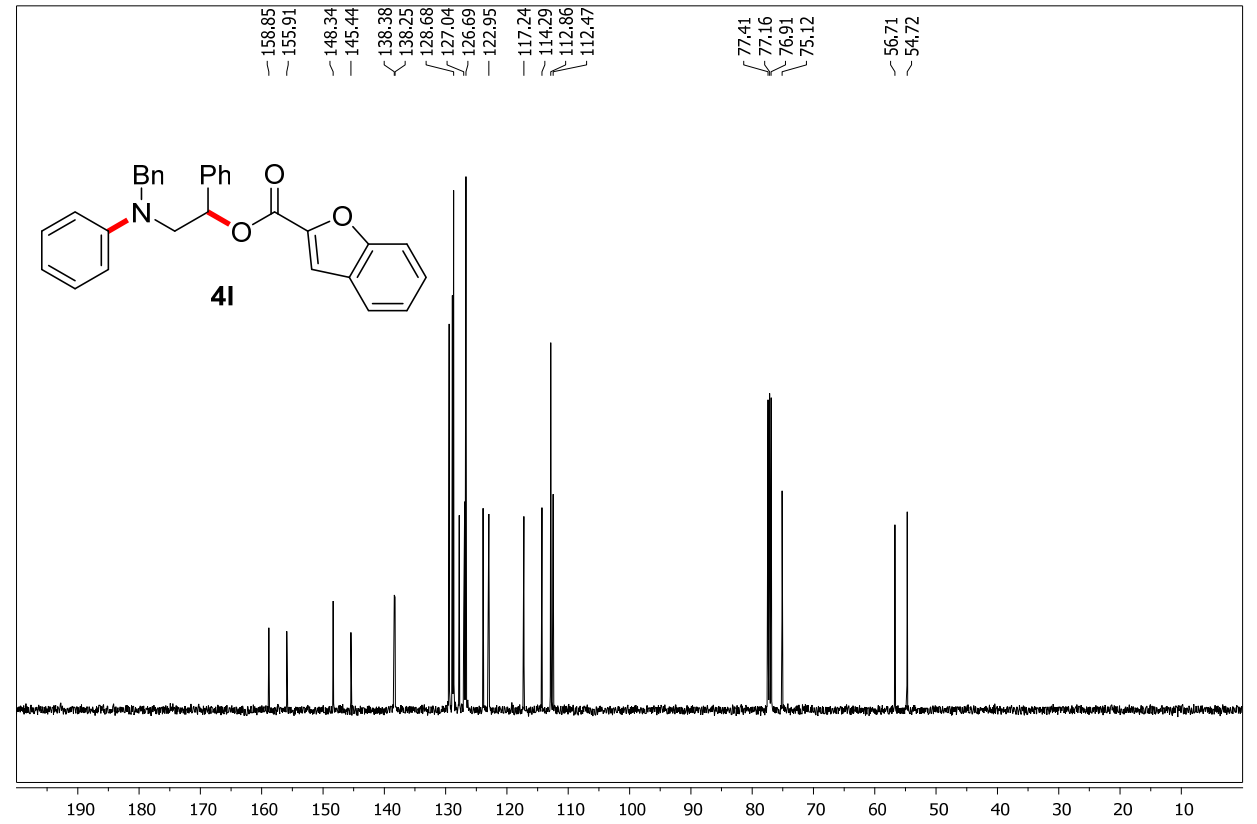
2-(Benzyl(phenyl)amino)-1-phenylethyl 1*H*-pyrrole-2-carboxylate (4k)



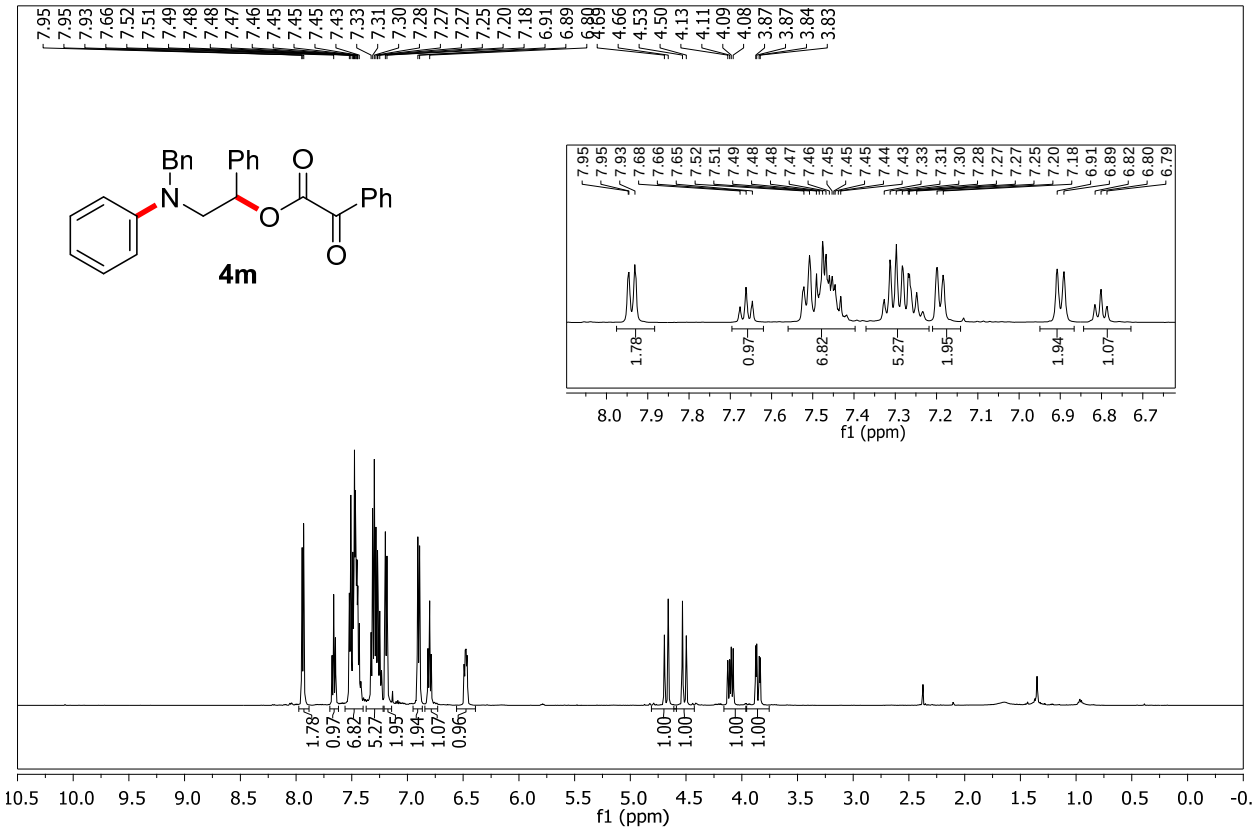


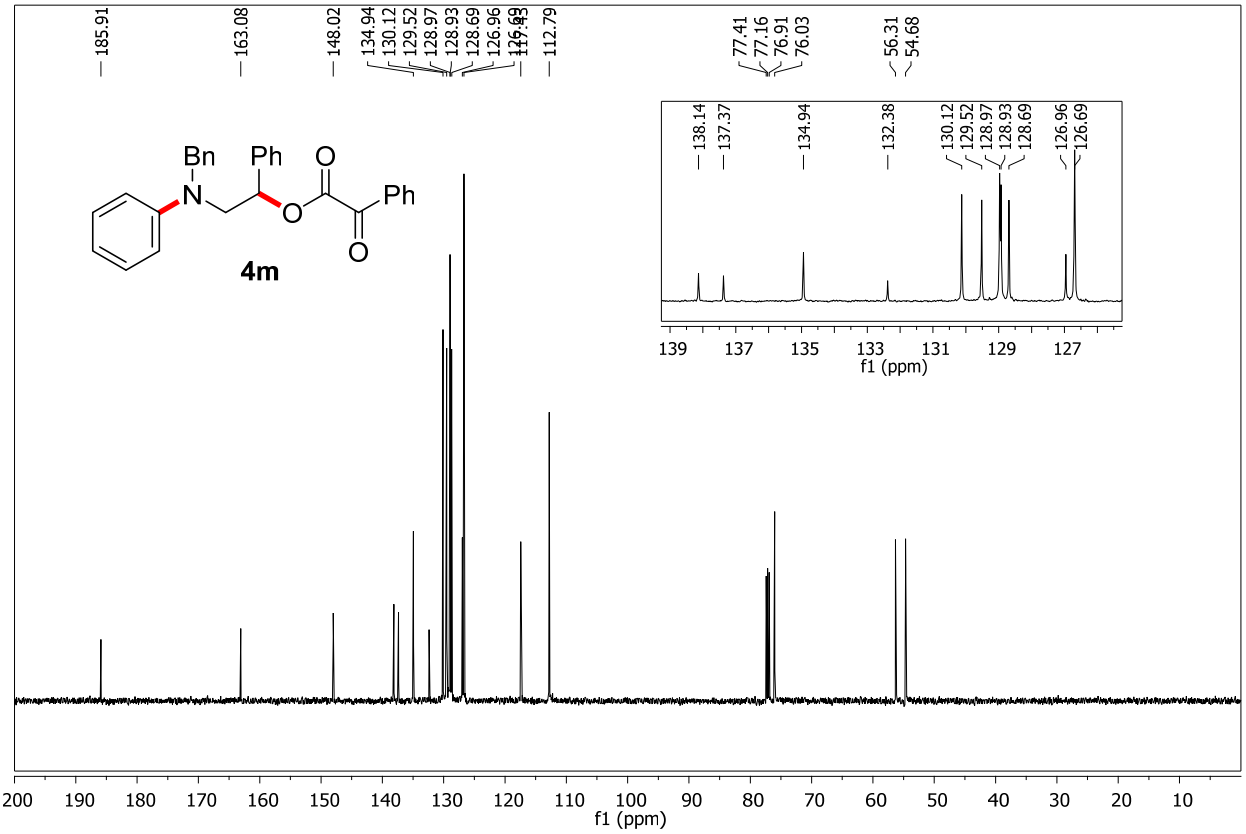
2-(Benzyl(phenyl)amino)-1-phenylethyl benzofuran-2-carboxylate (4l)



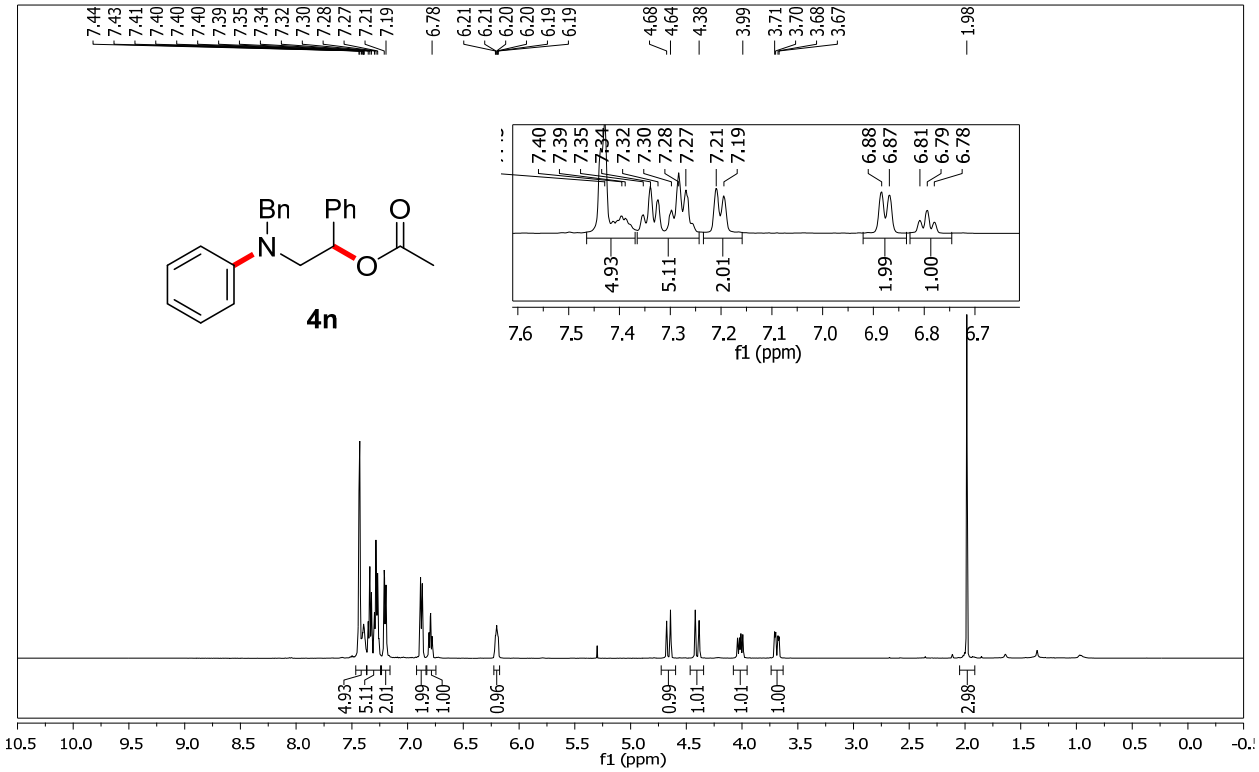


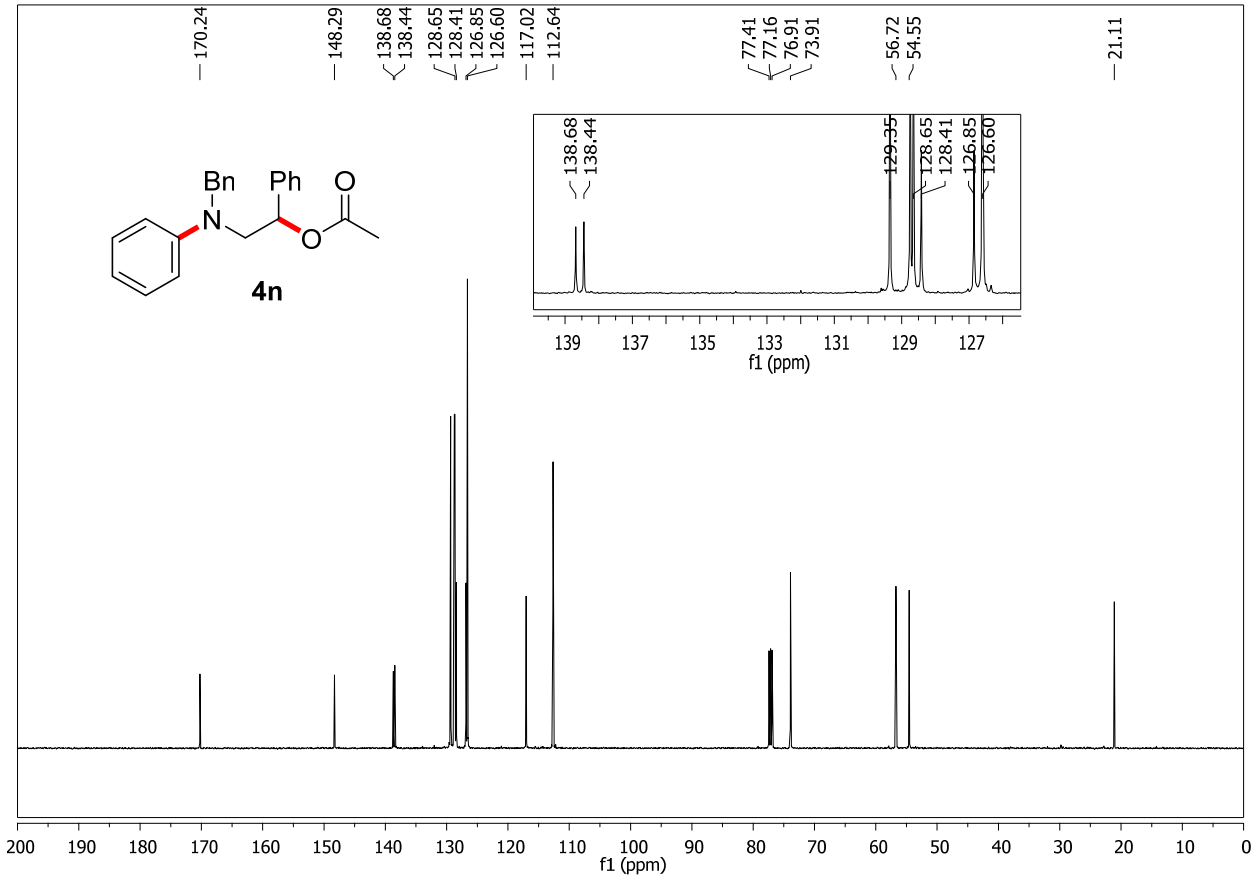
2-(Benzyl(phenyl)amino)-1-phenylethyl 2-oxo-2-phenylacetate (4m)



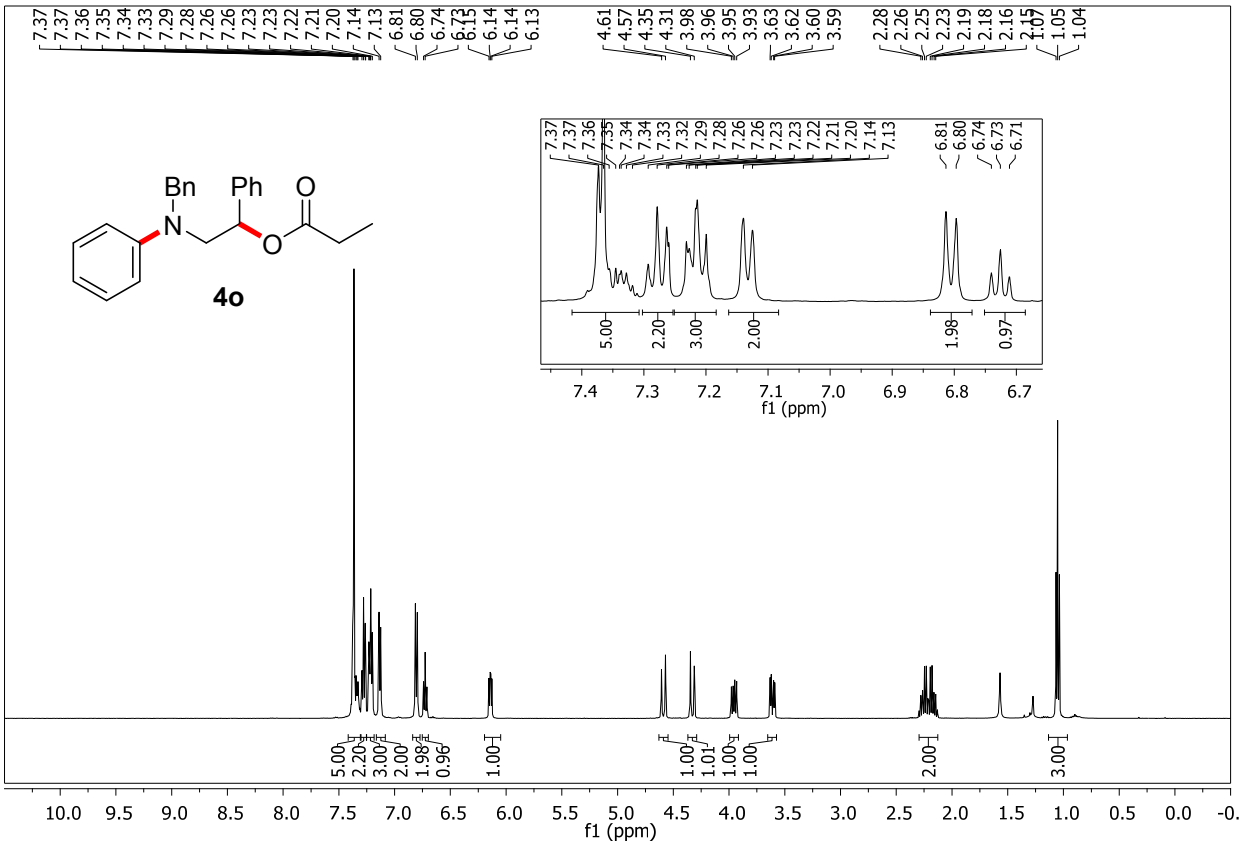


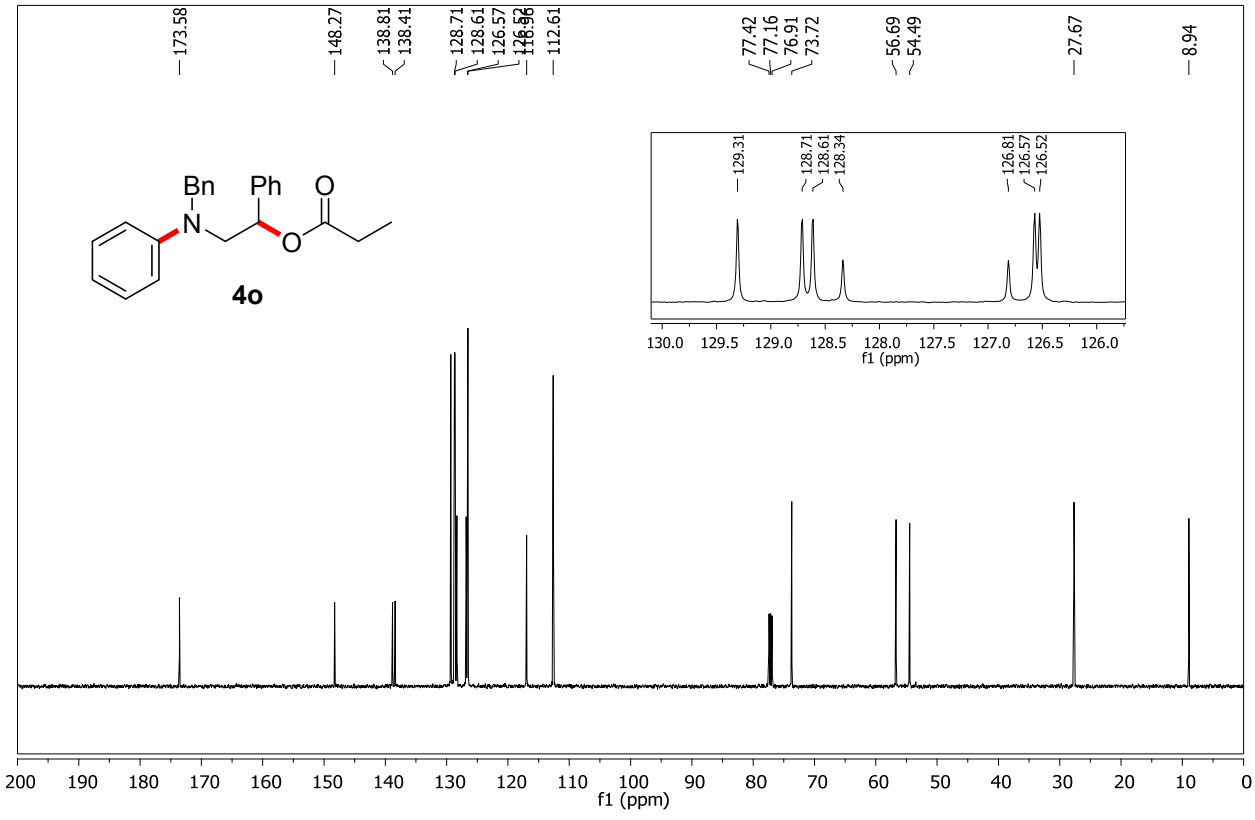
2-(Benzyl(phenyl)amino)-1-phenylethyl acetate (4n)



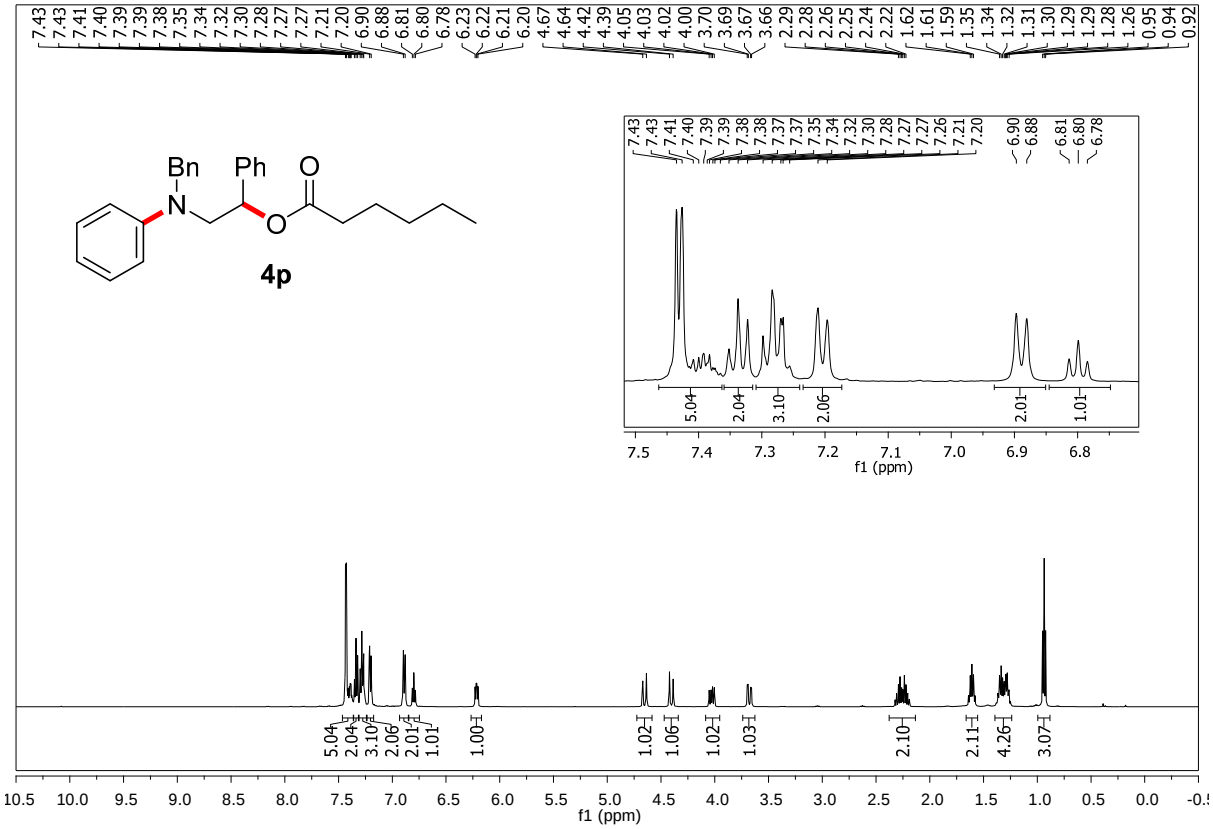


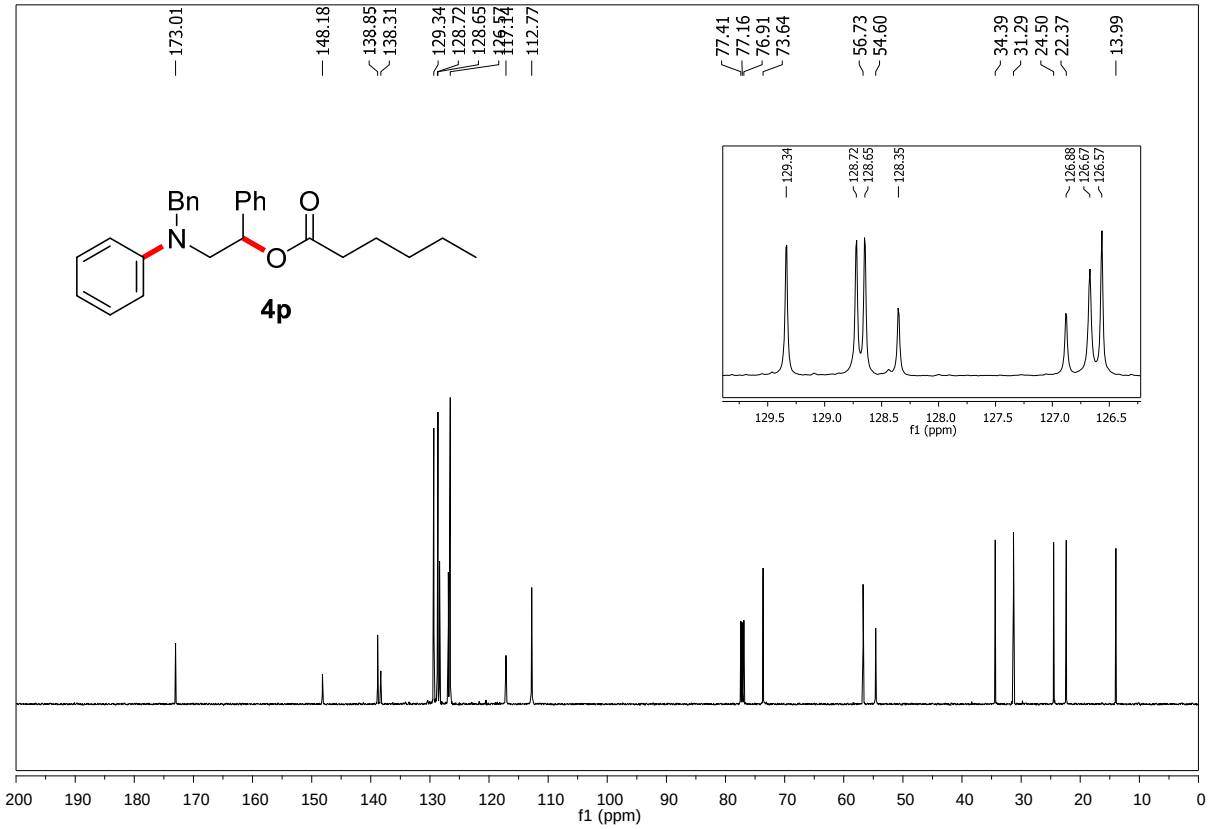
2-(Benzyl(phenyl)amino)-1-phenylethyl propionate (4o)



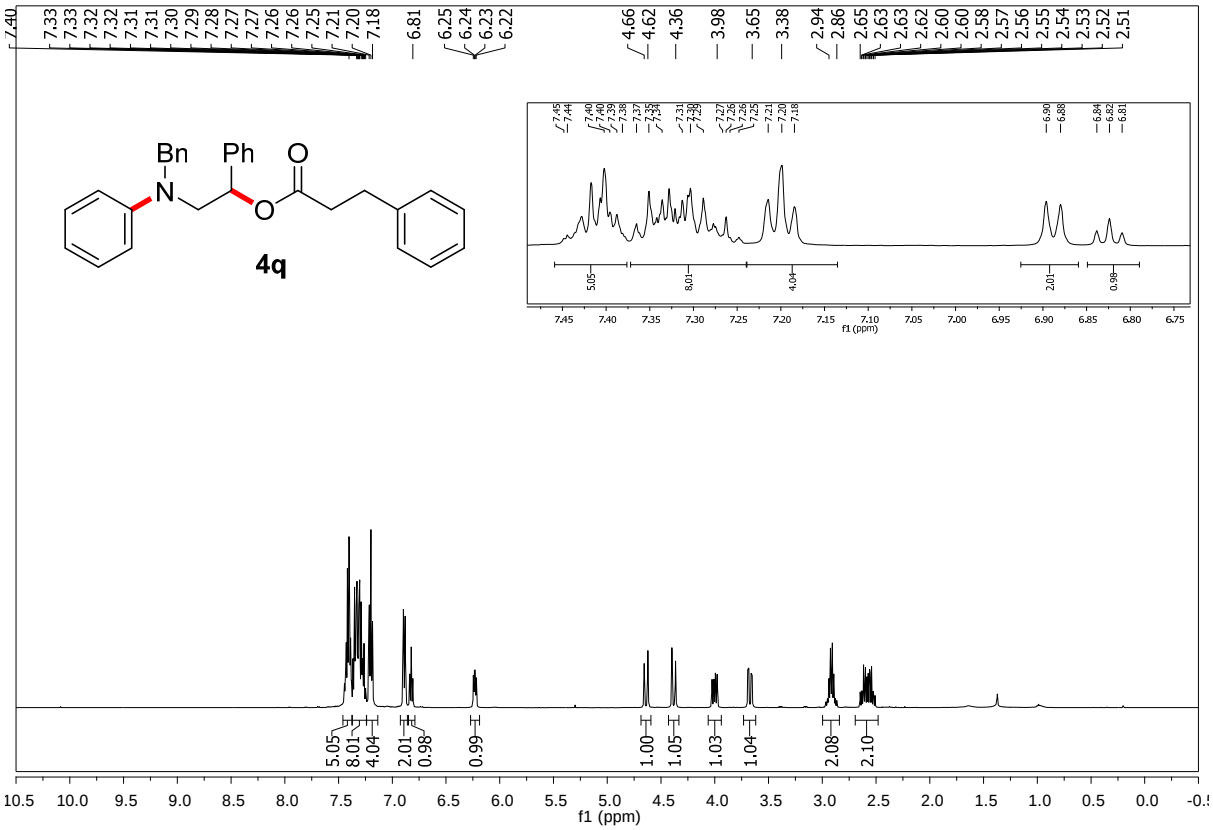


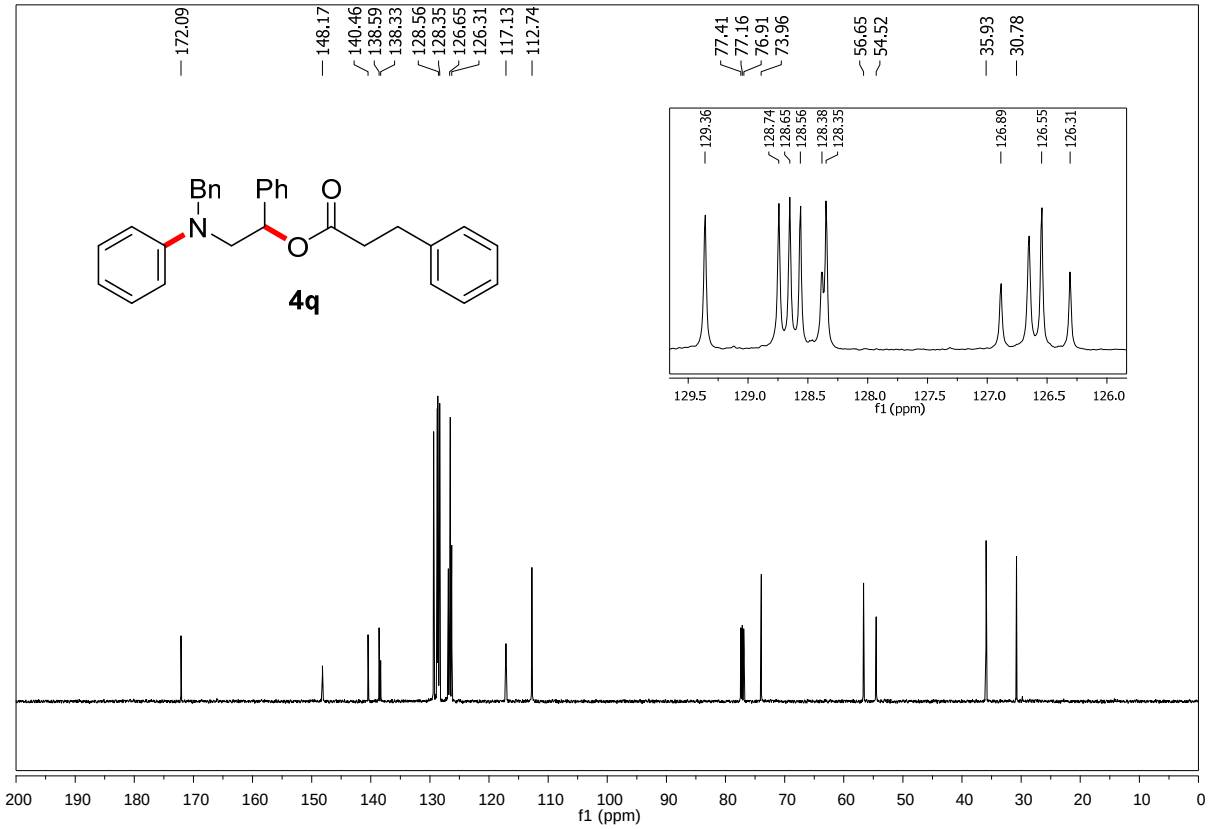
2-(Benzyl(phenyl)amino)-1-phenylethyl hexanoate (4p)



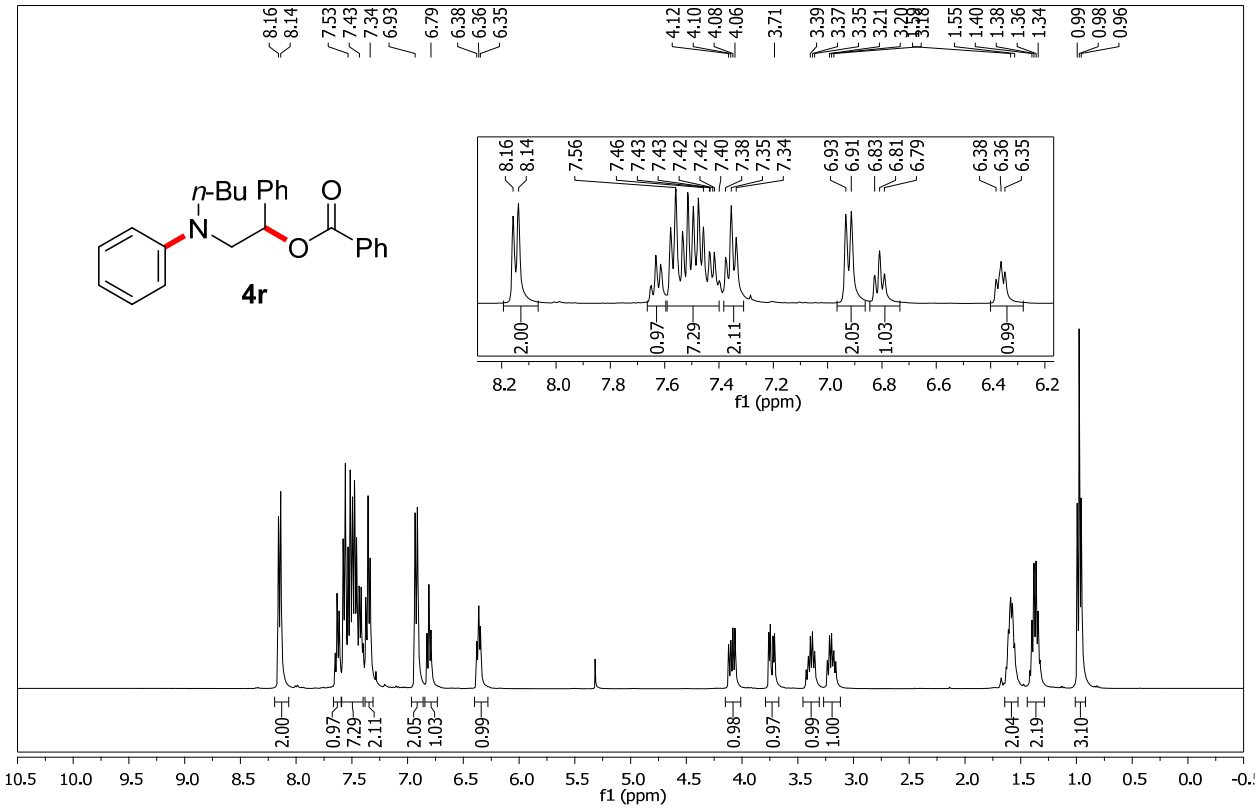


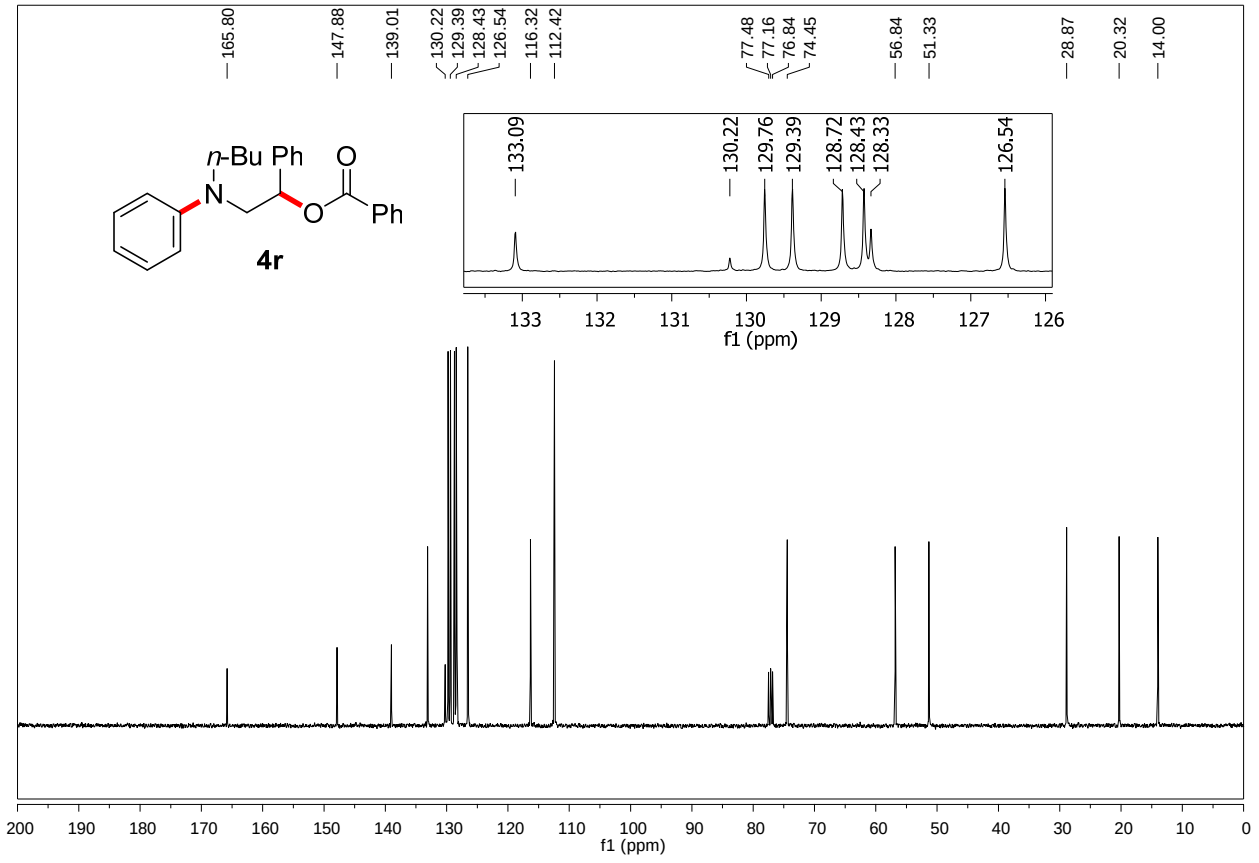
2-(Benzyl(phenyl)amino)-1-phenylethyl 3-phenylpropanoate (4q)



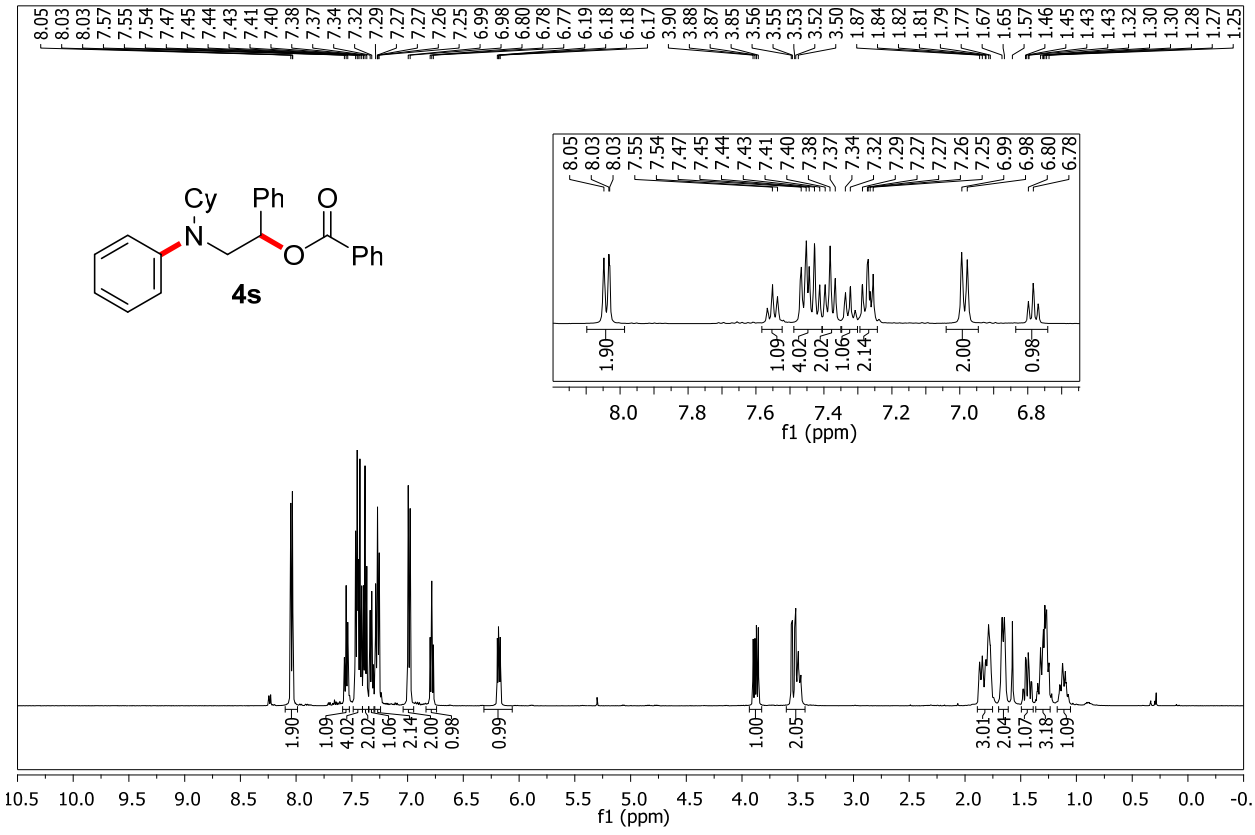


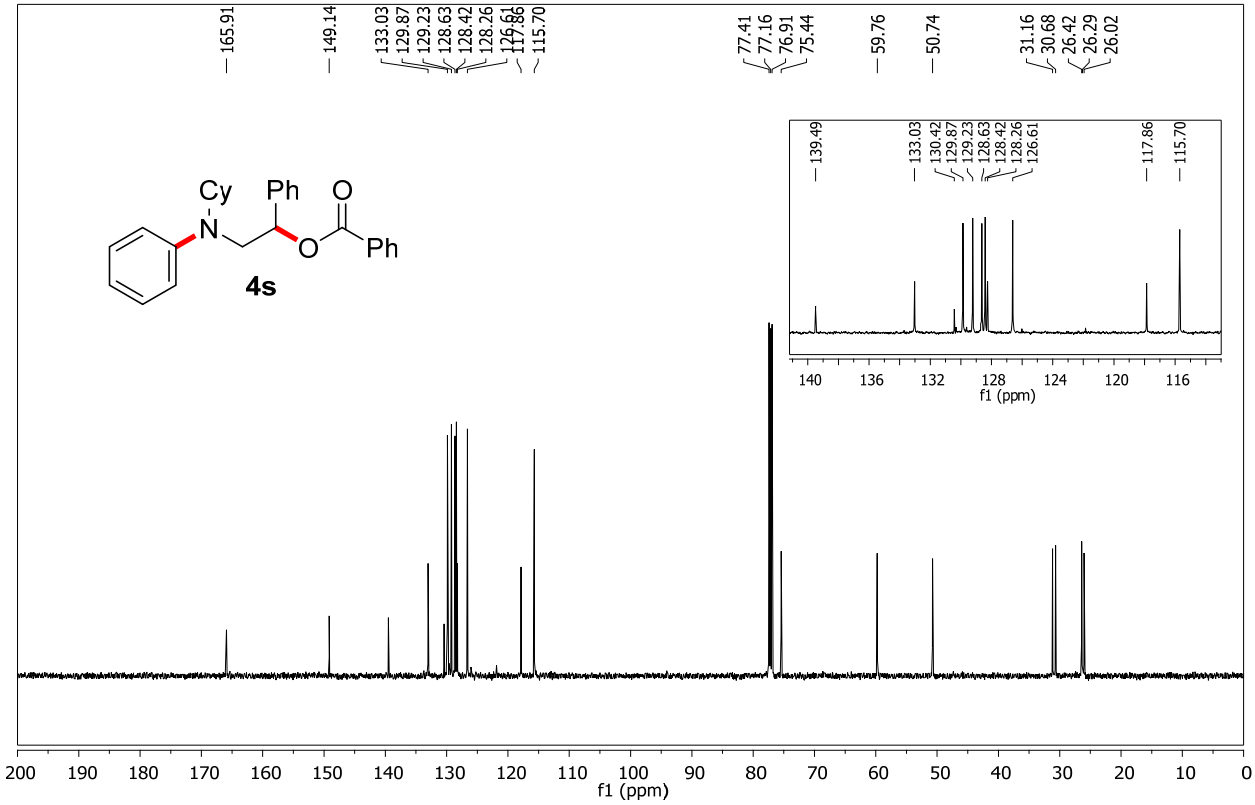
2-(Butyl(phenyl)amino)-1-phenylethyl benzoate (4r)



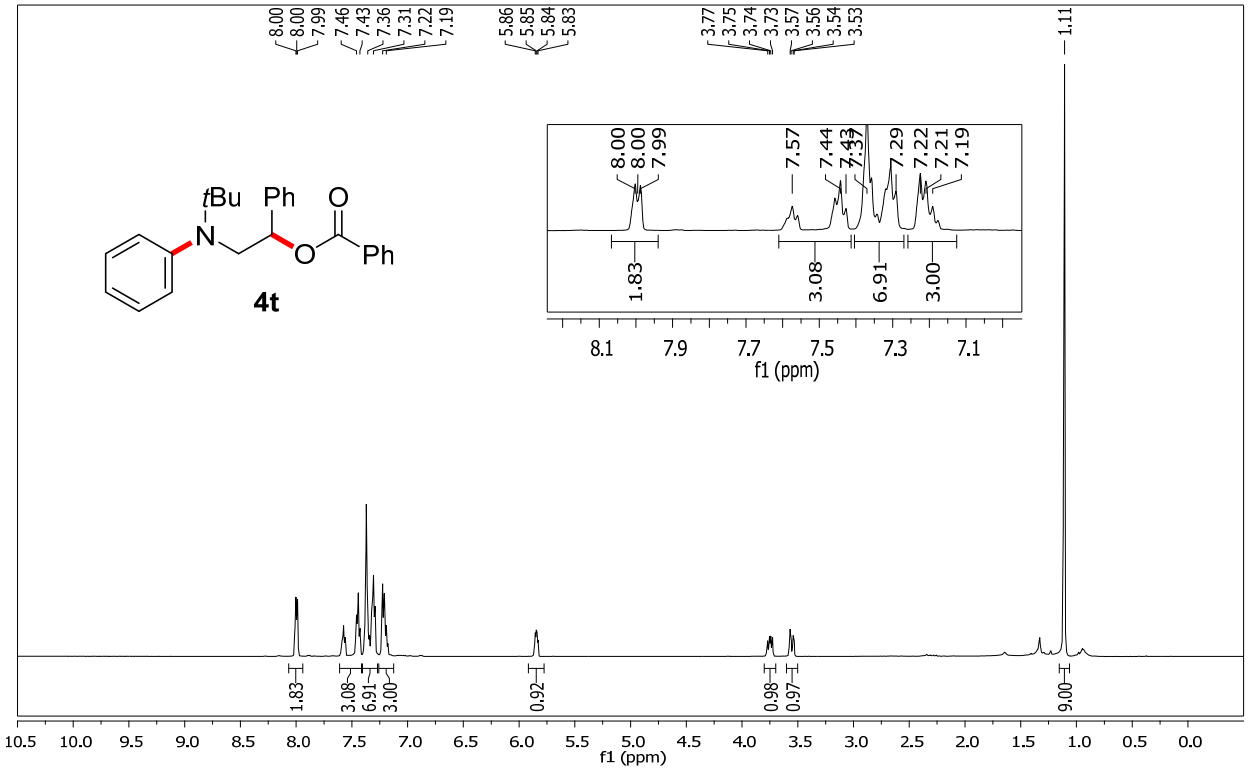


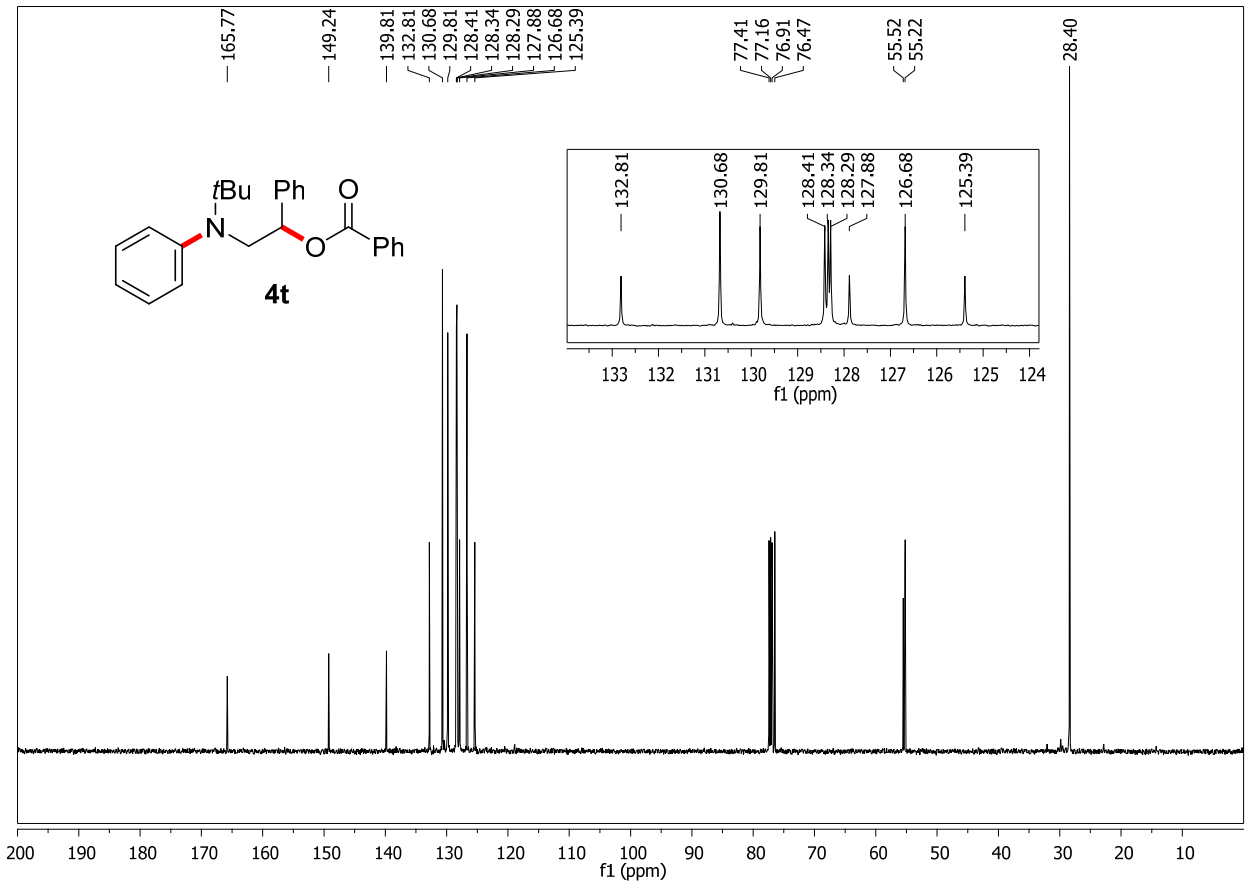
2-(Cyclohexyl(phenyl)amino)-1-phenylethyl benzoate (4s)



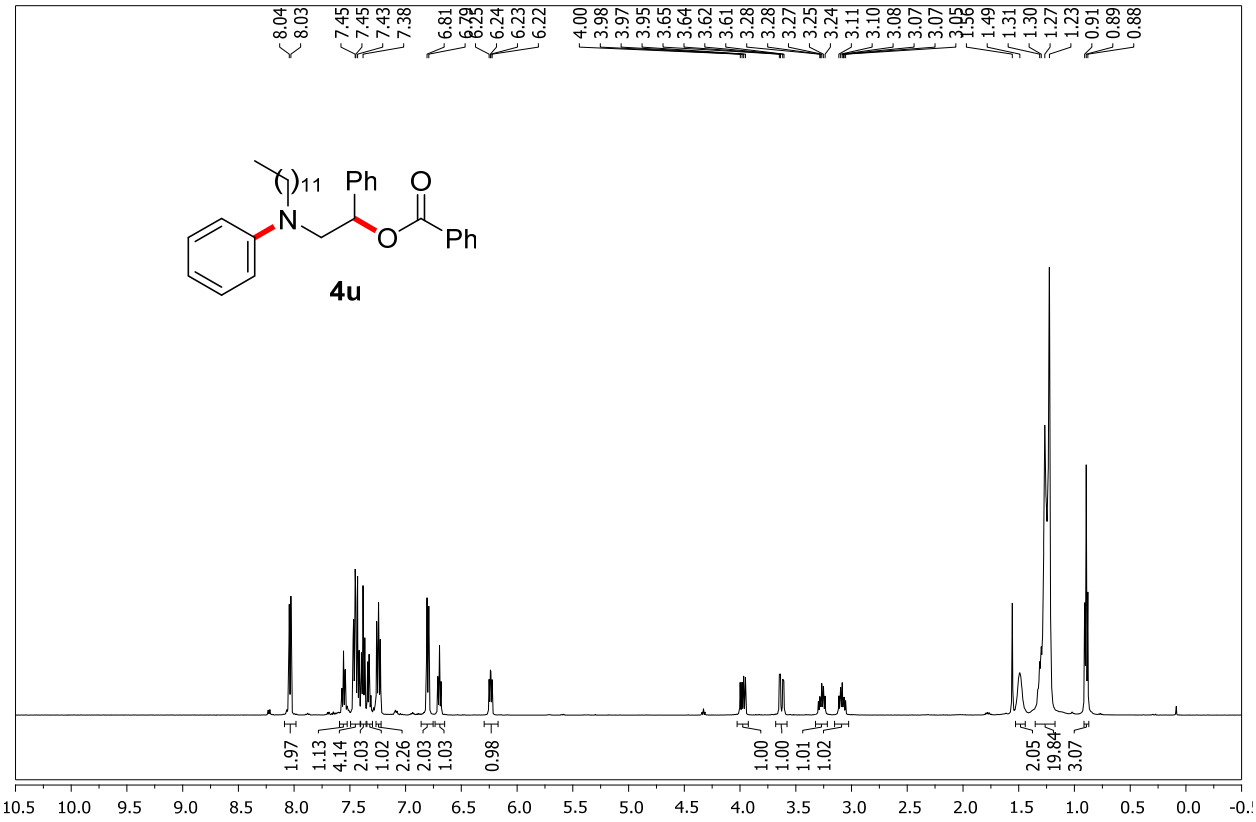


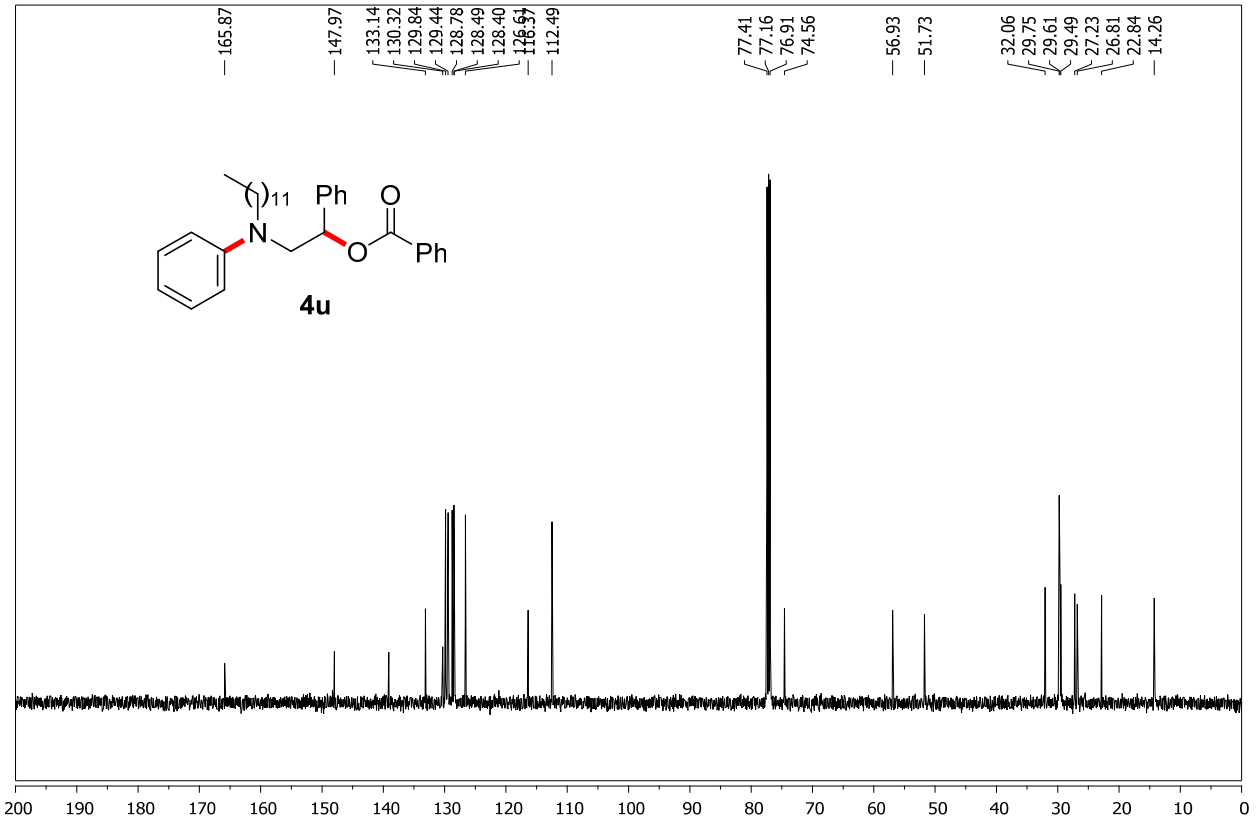
2-(*tert*-Butyl(phenyl)amino)-1-phenylethyl benzoate (4t)



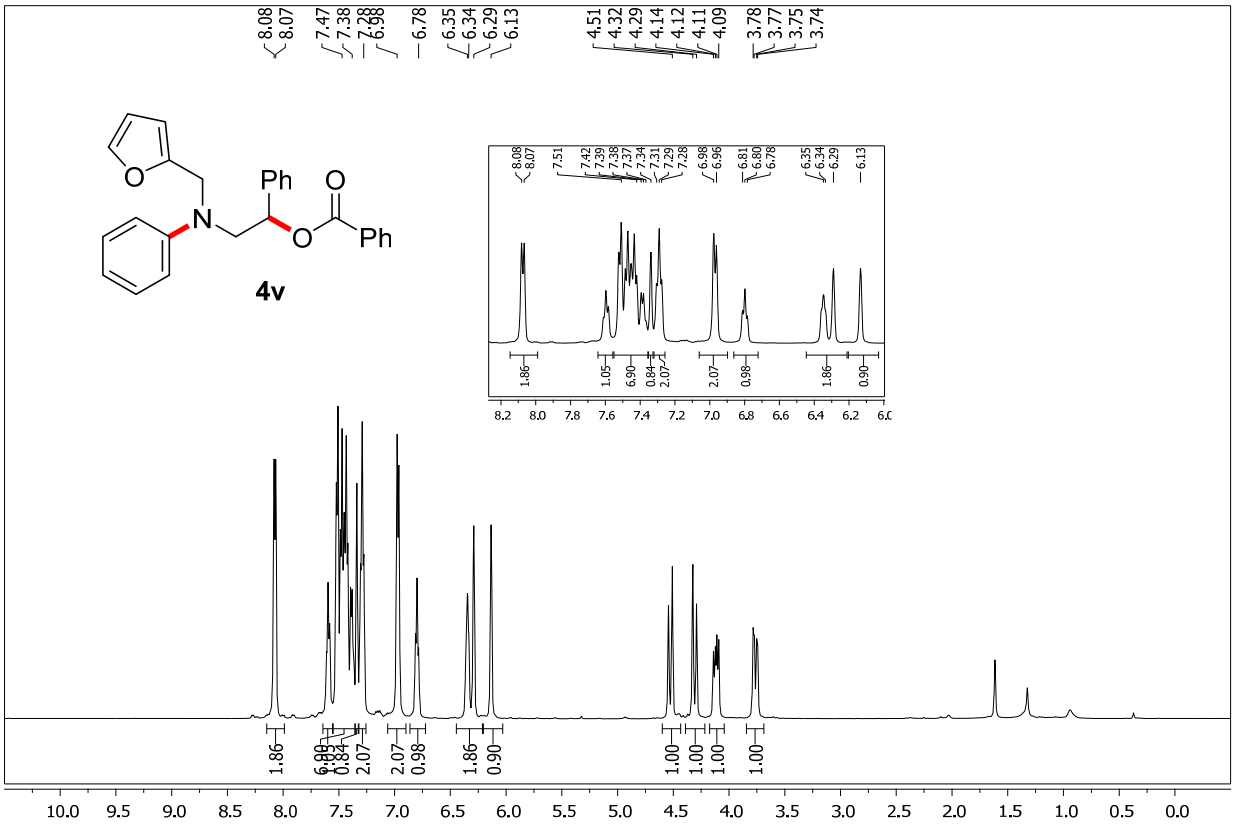


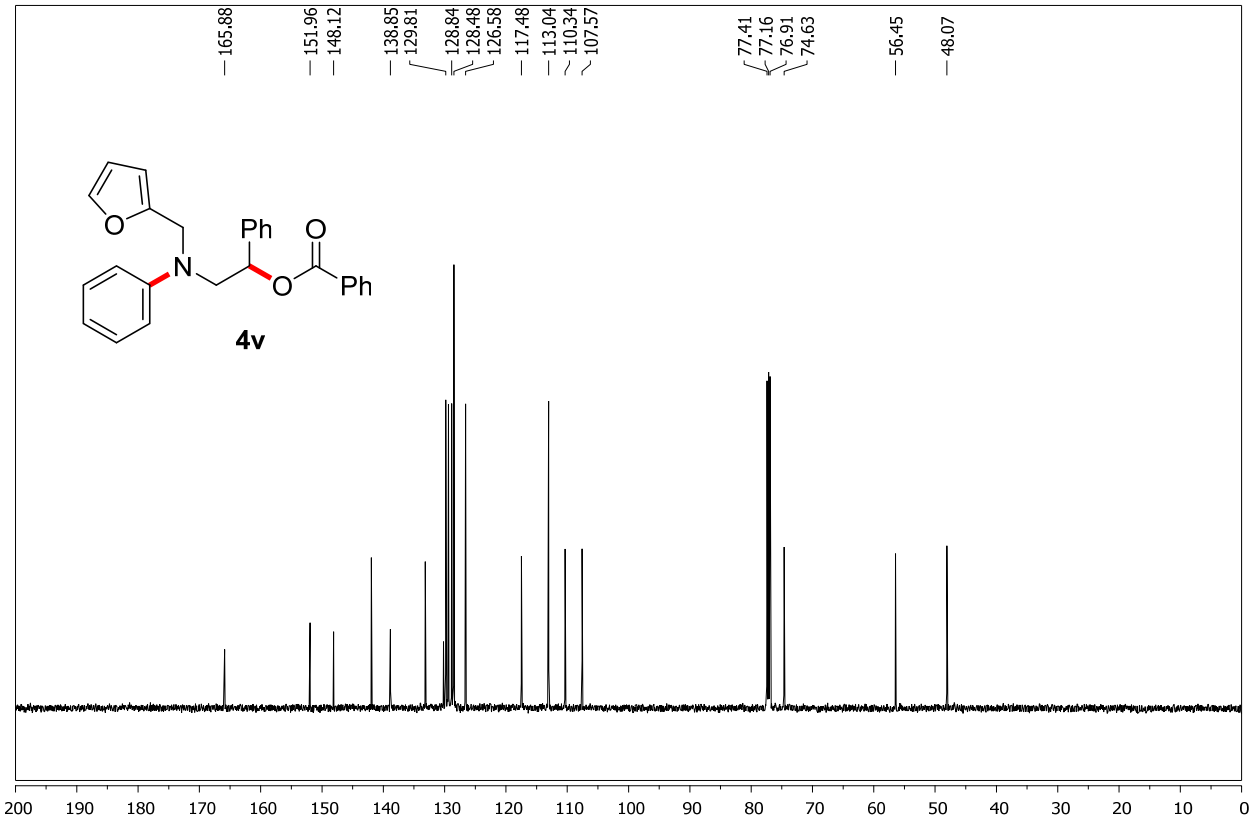
2-(Dodecyl(phenyl)amino)-1-phenylethyl benzoate (4u)



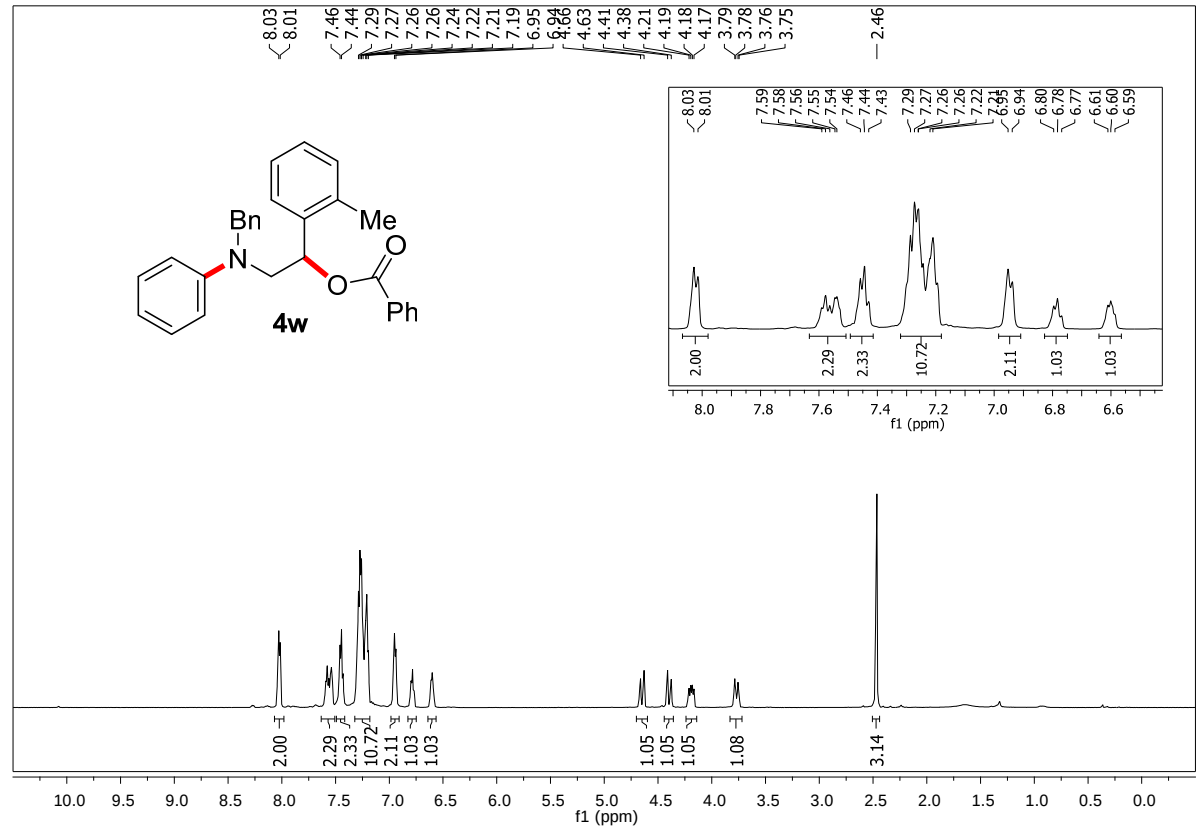


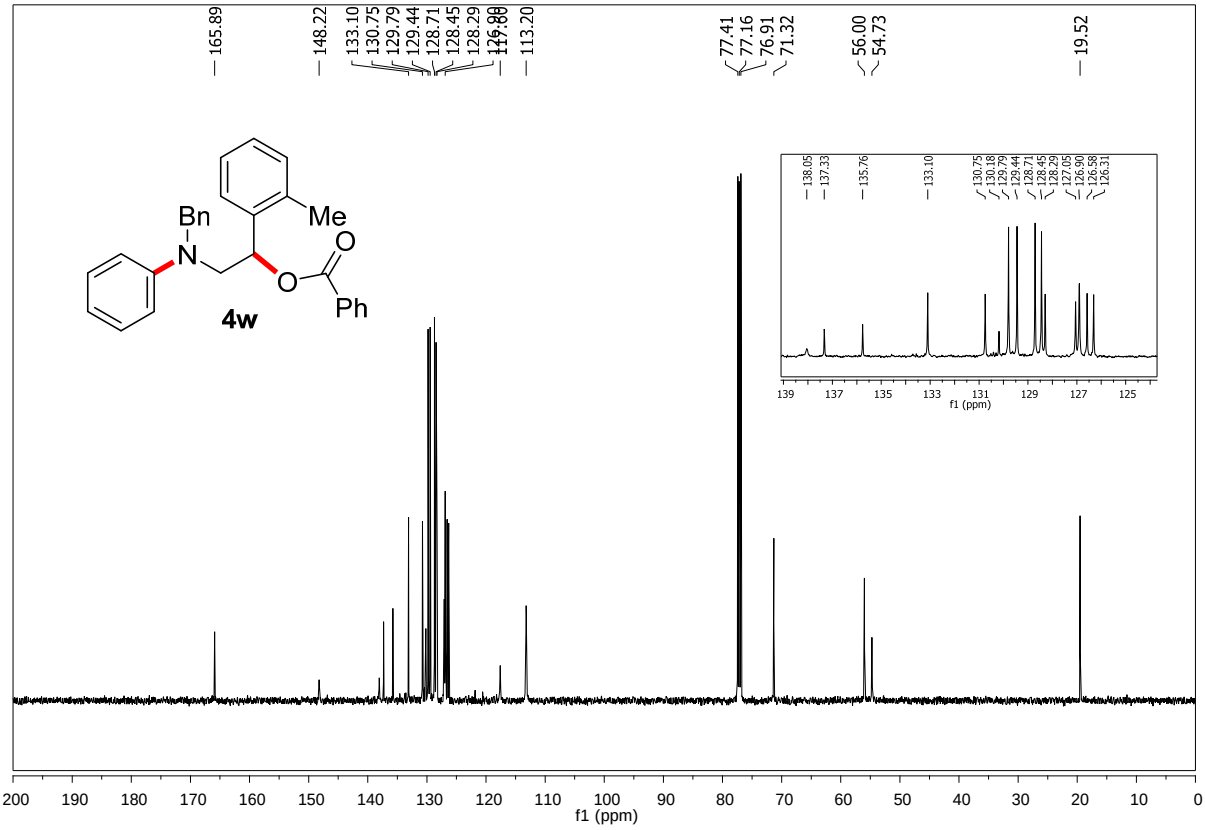
2-((Furan-2-ylmethyl)(phenyl)amino)-1-phenylethyl benzoate (4v)



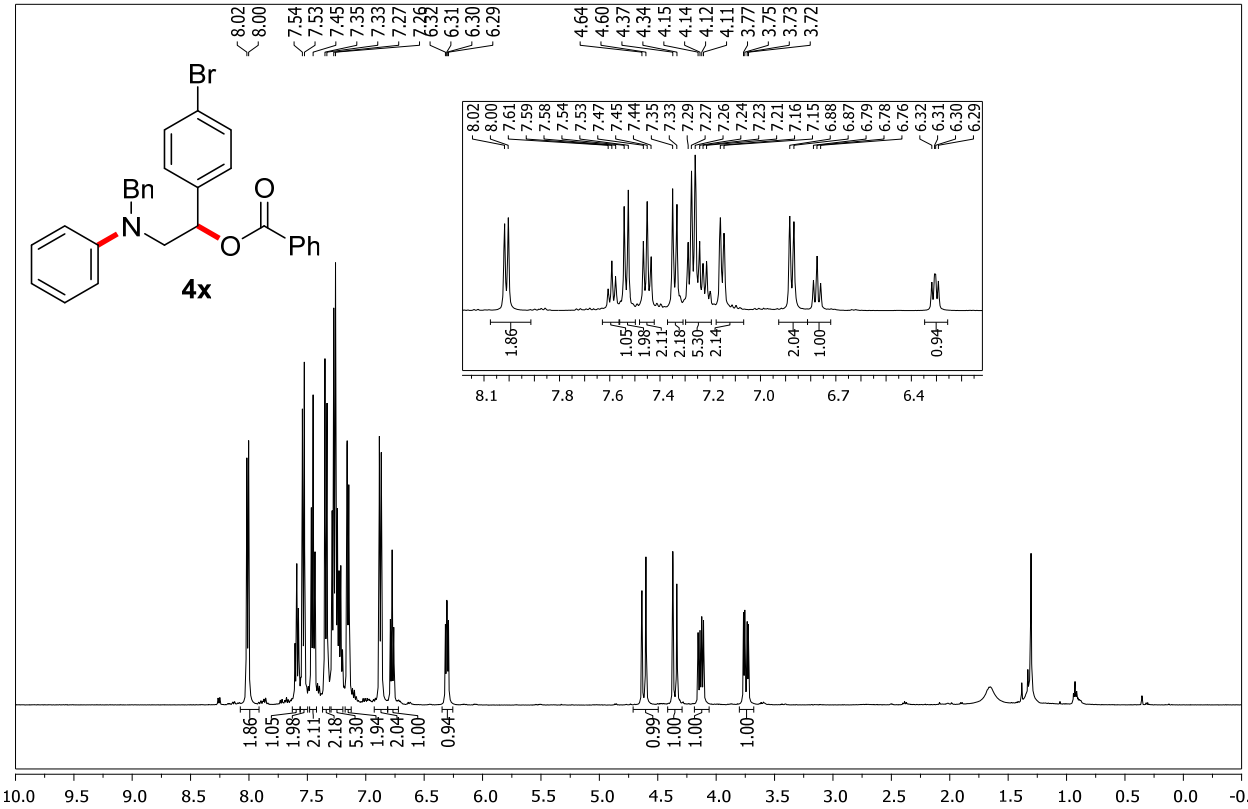


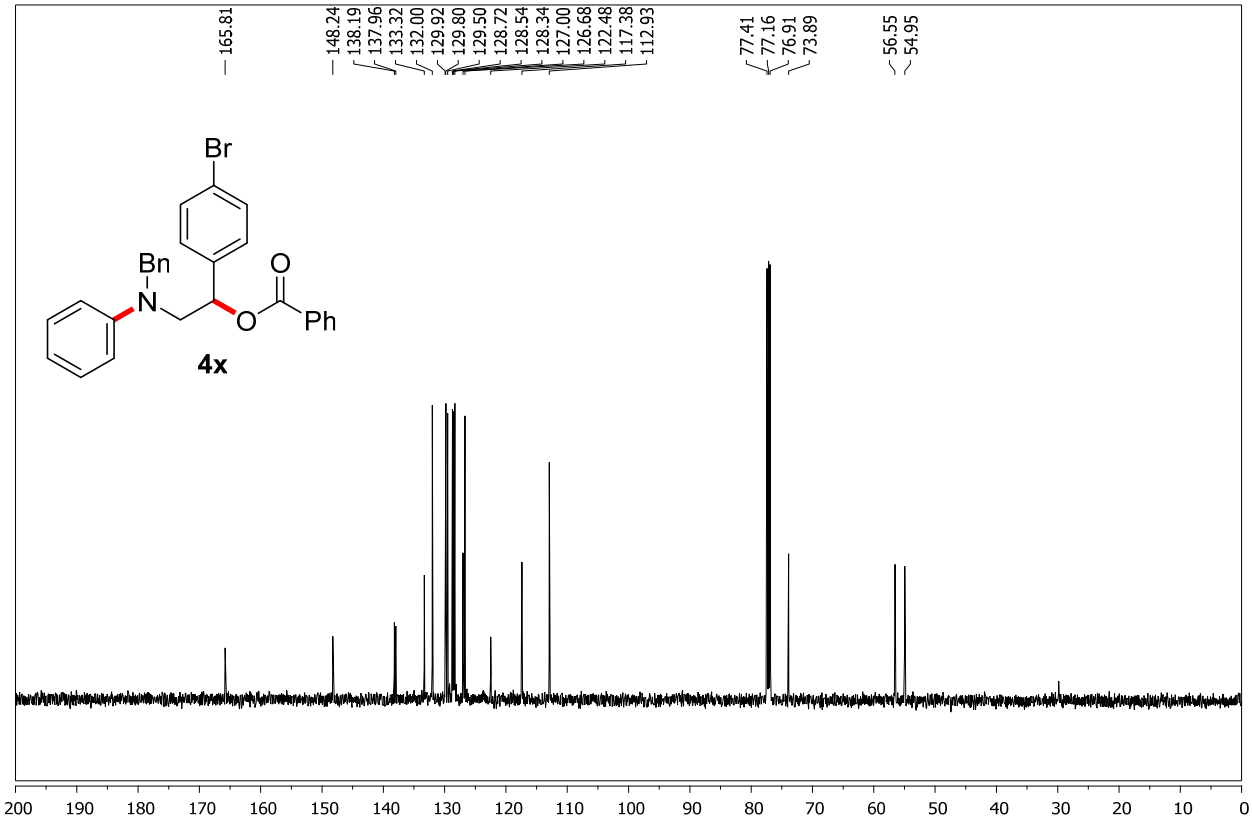
2-(Benzyl(phenyl)amino)-1-(*o*-tolyl)ethyl benzoate (4w)



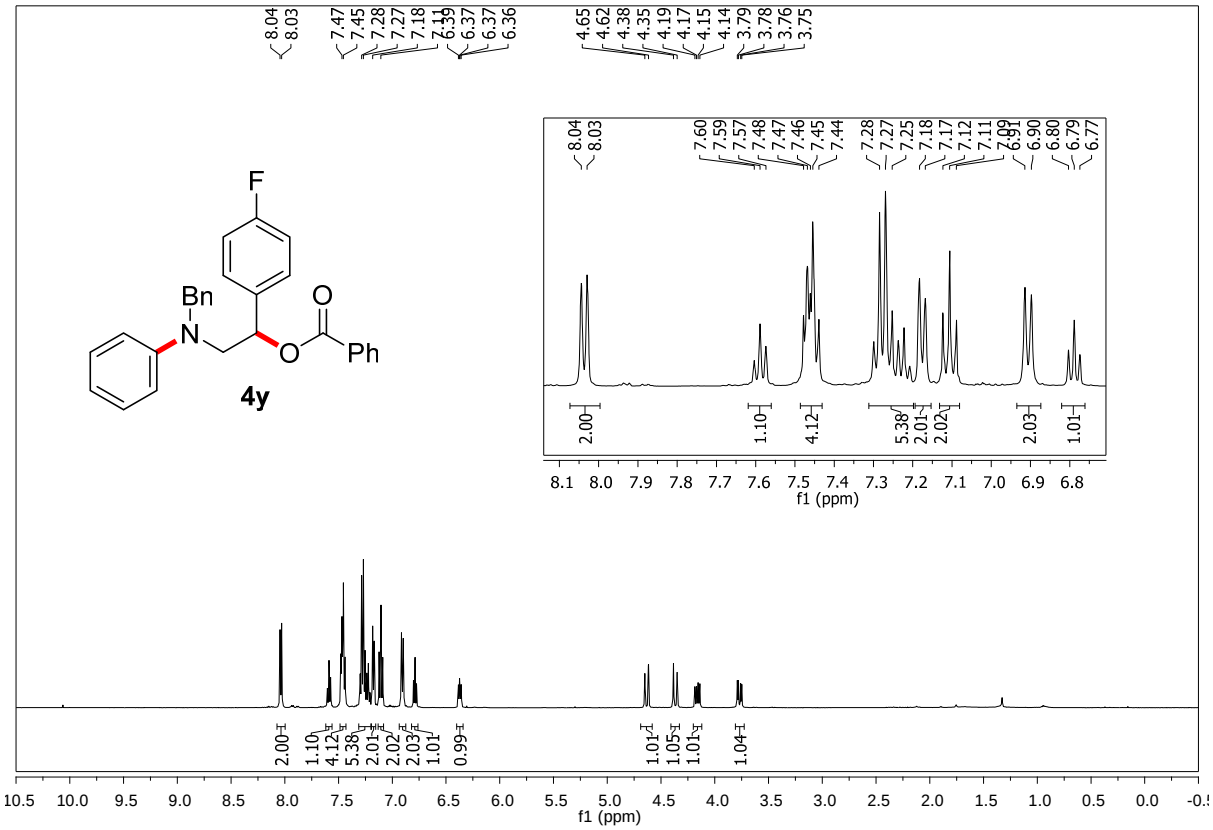


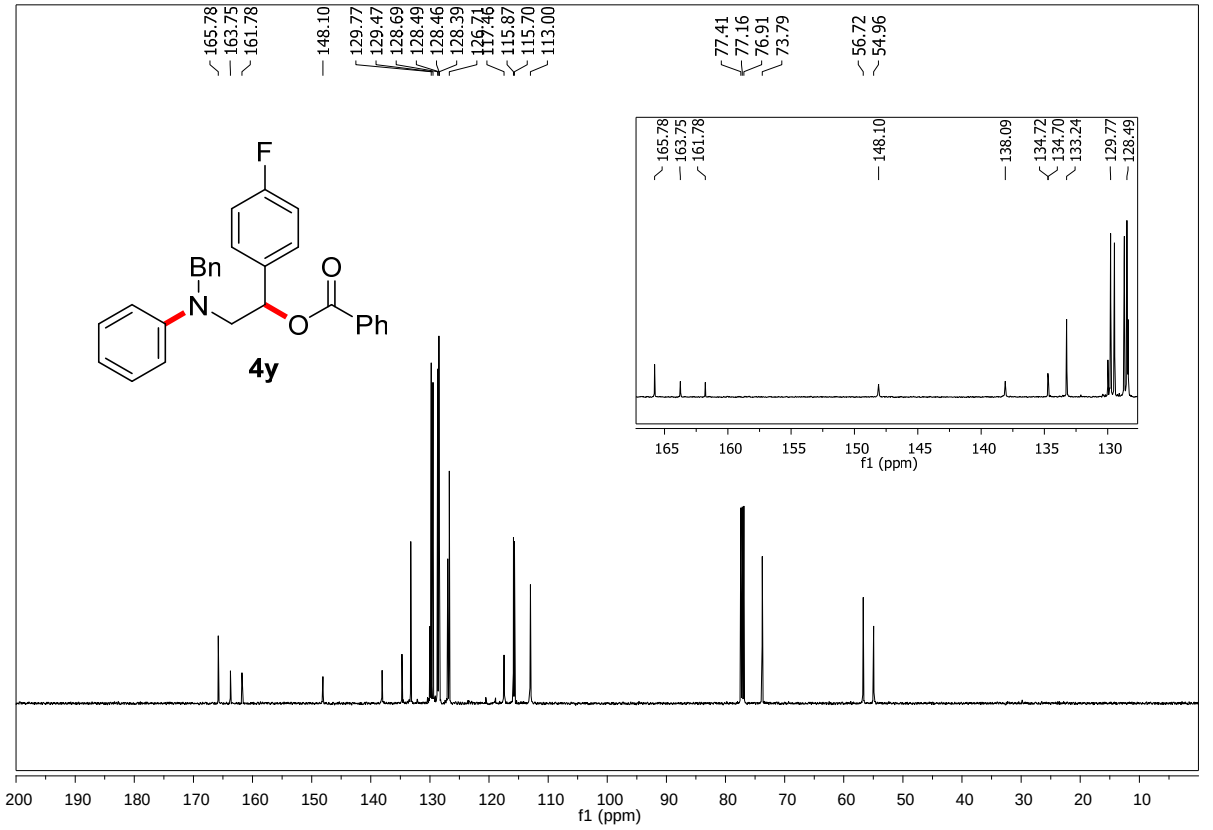
2-(Benzyl(phenyl)amino)-1-(4-bromophenyl)ethyl benzoate (4x)



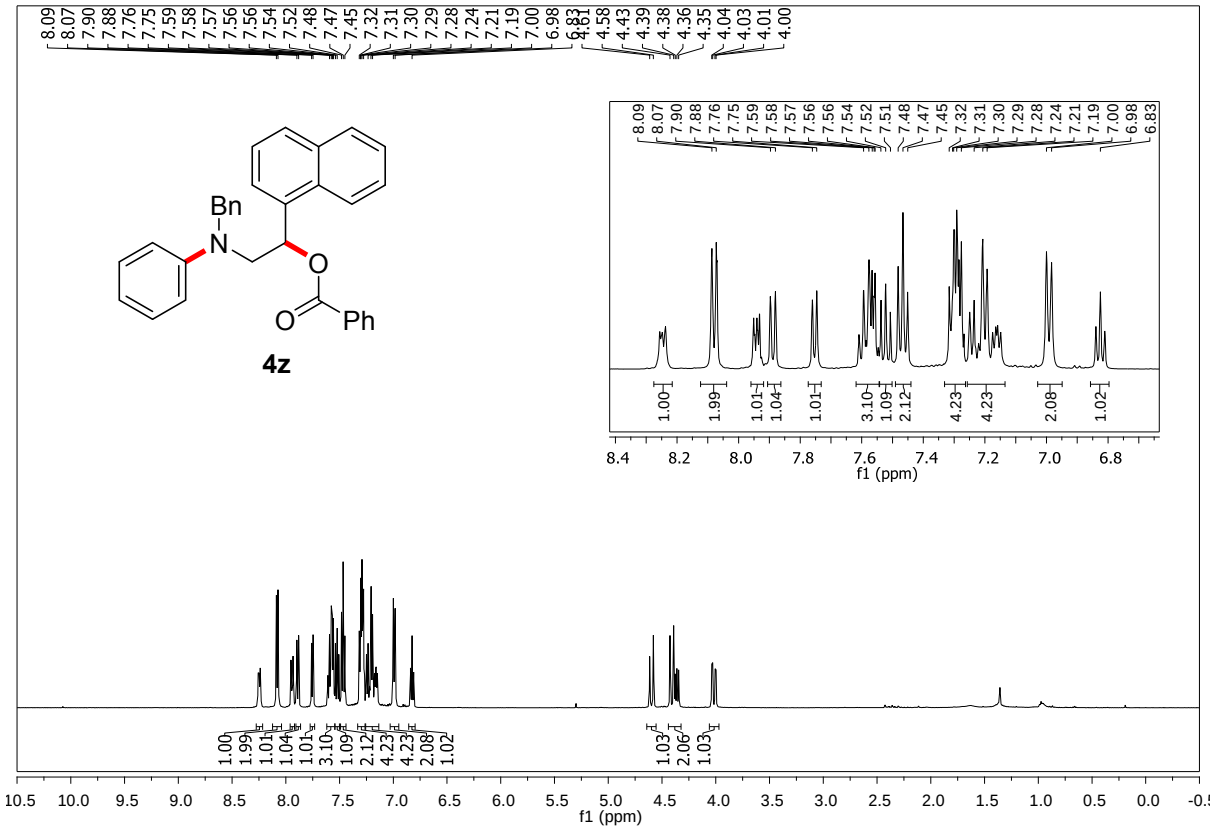


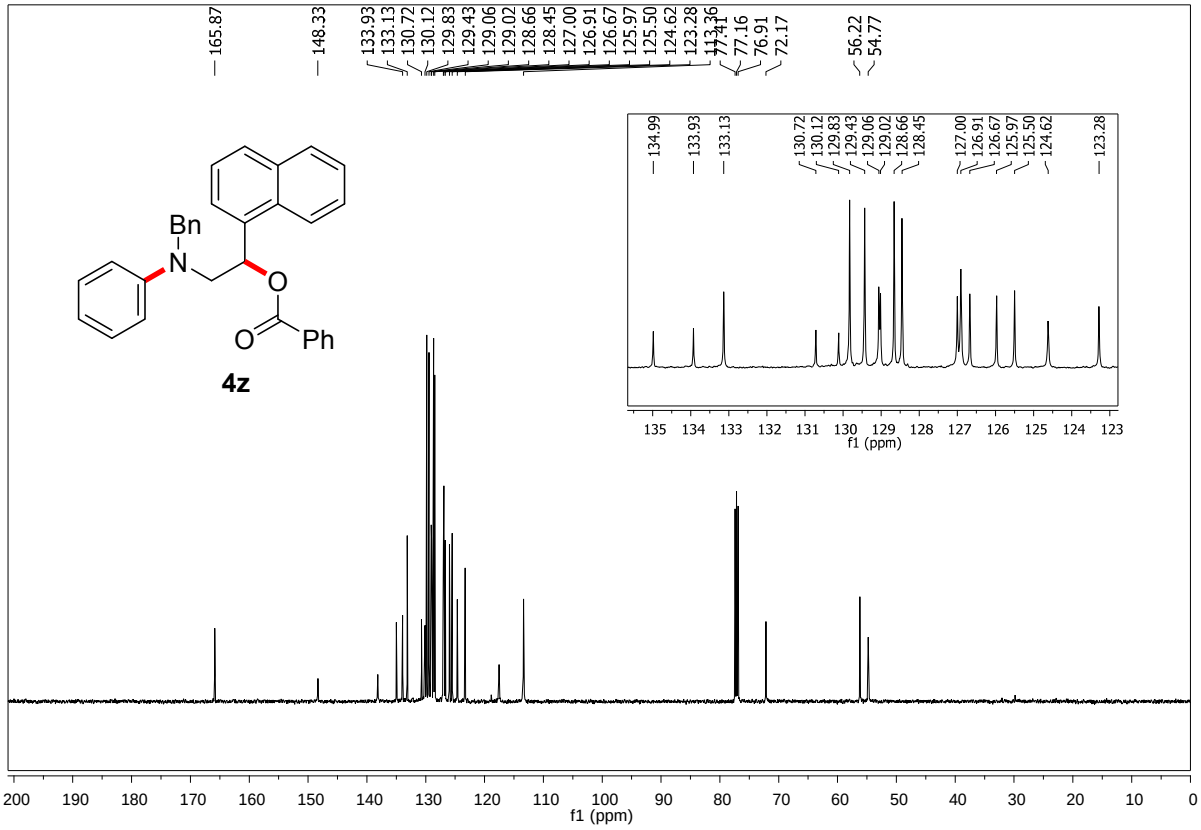
2-(Benzyl(phenyl)amino)-1-(4-fluorophenyl)ethyl benzoate (4y)



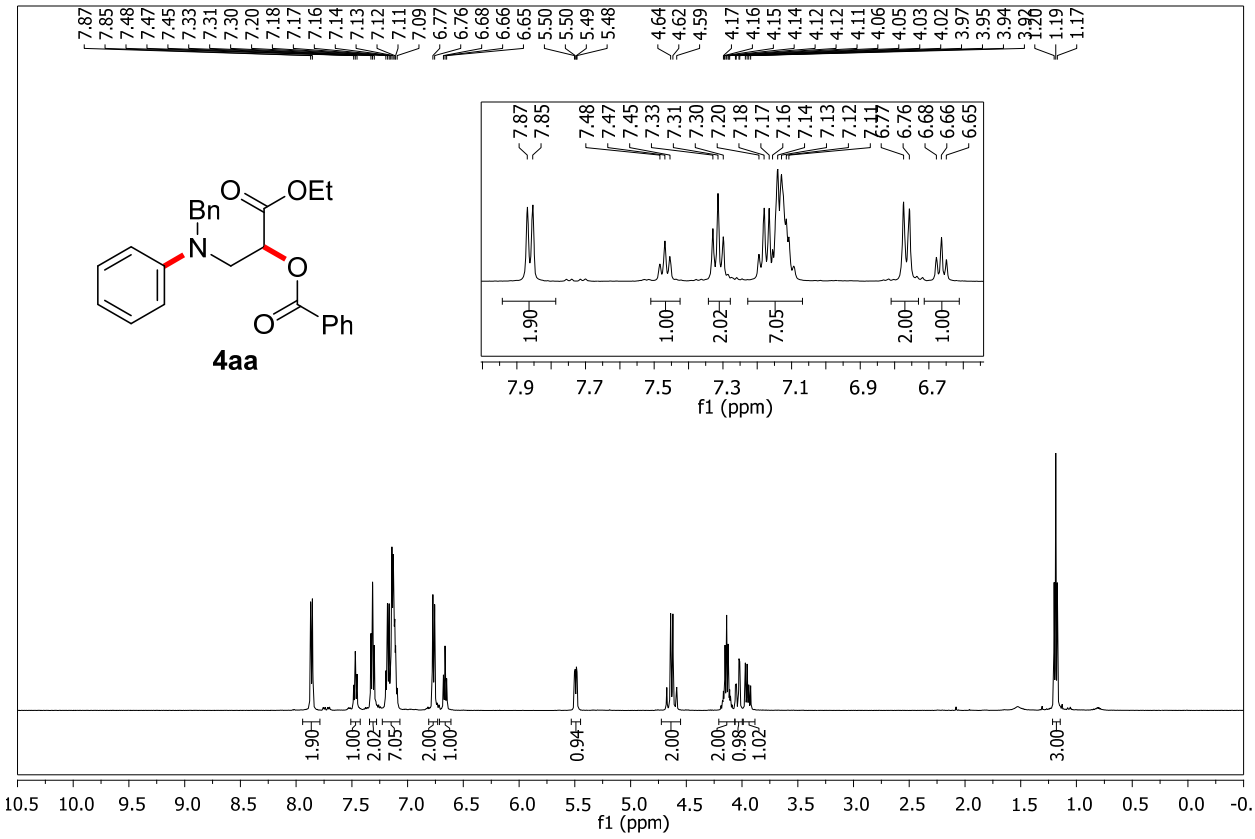


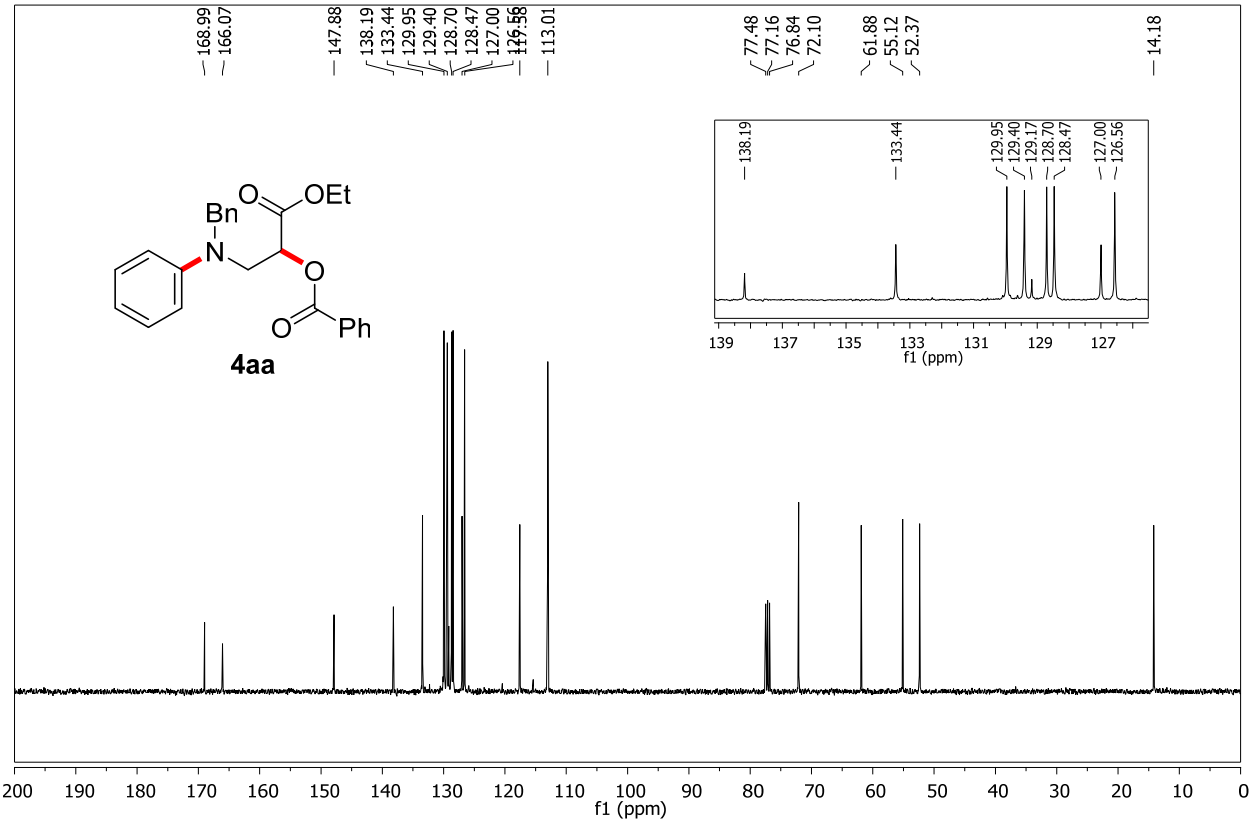
2-(Benzyl(phenyl)amino)-1-(naphthalen-1-yl)ethyl benzoate (4z)



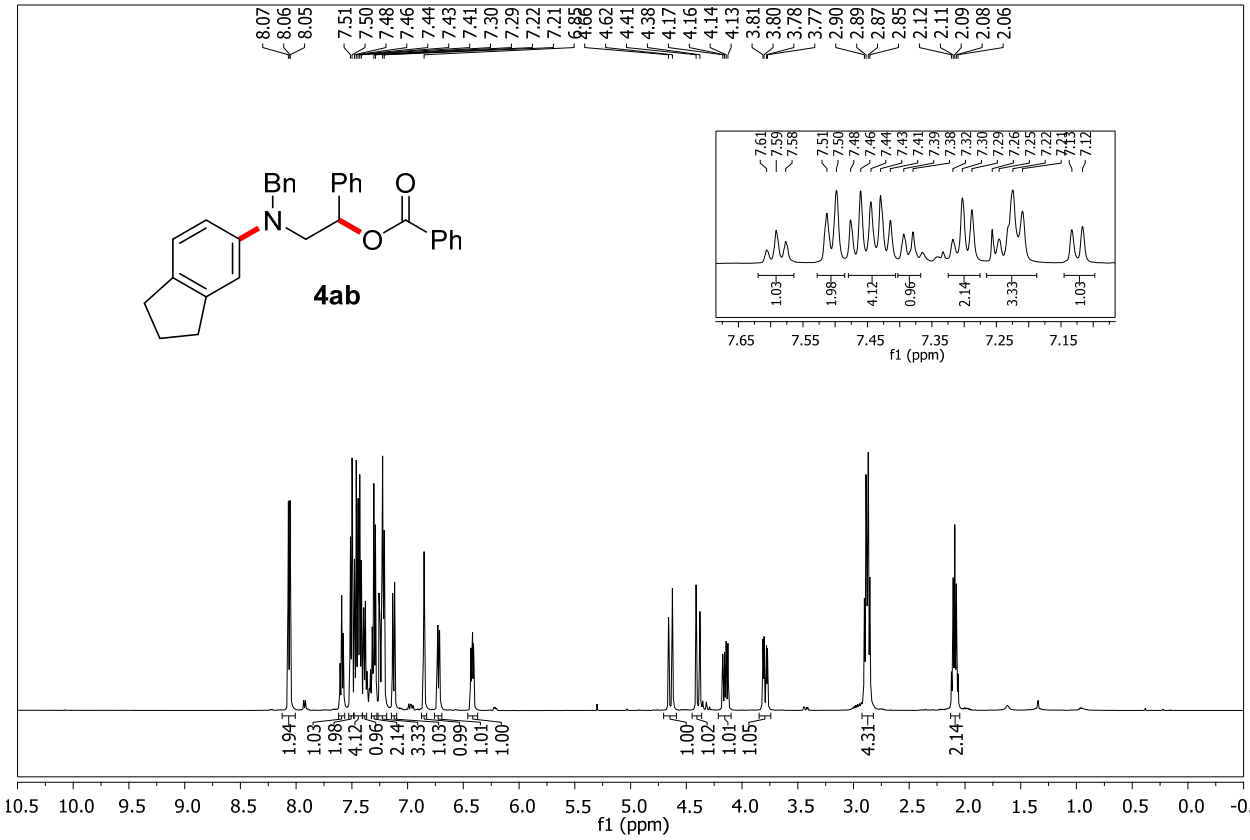


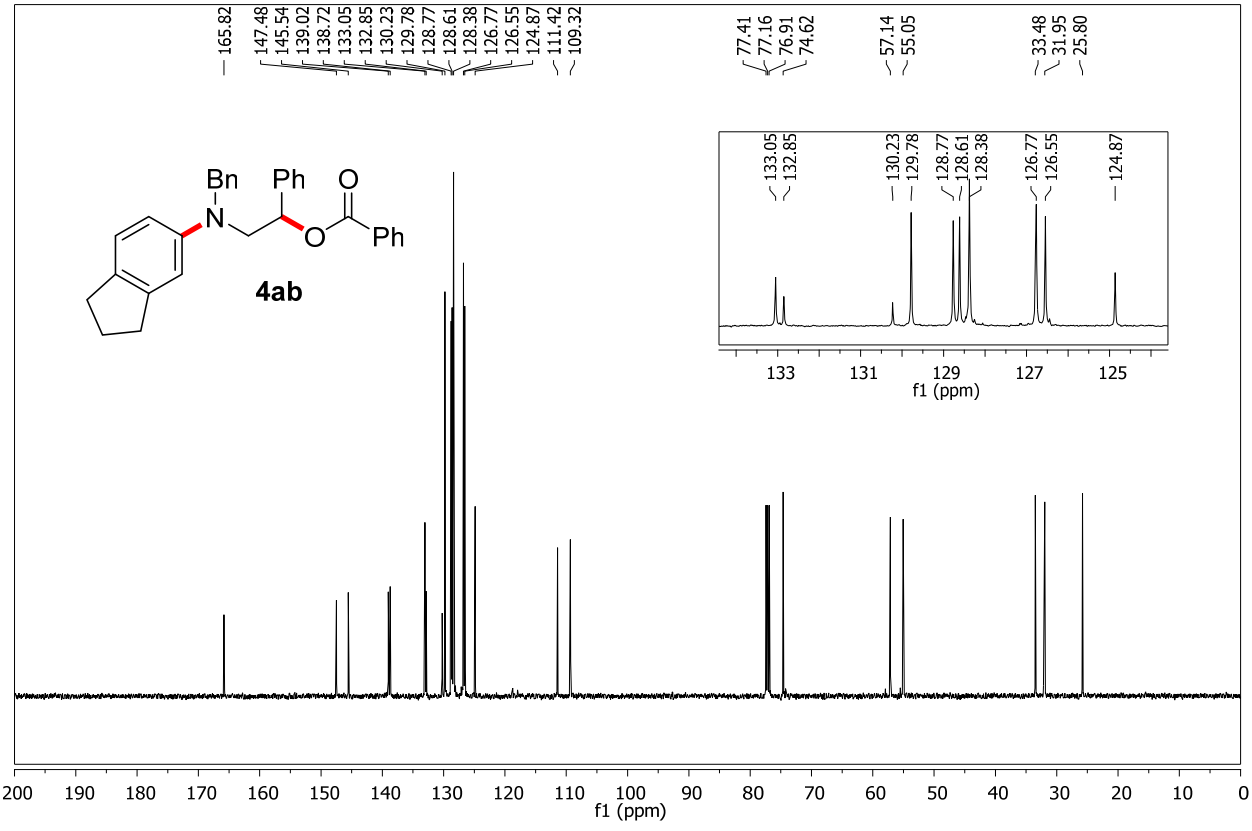
3-(Benzyl(phenyl)amino)-1-ethoxy-1-oxopropan-2-yl benzoate (4aa)



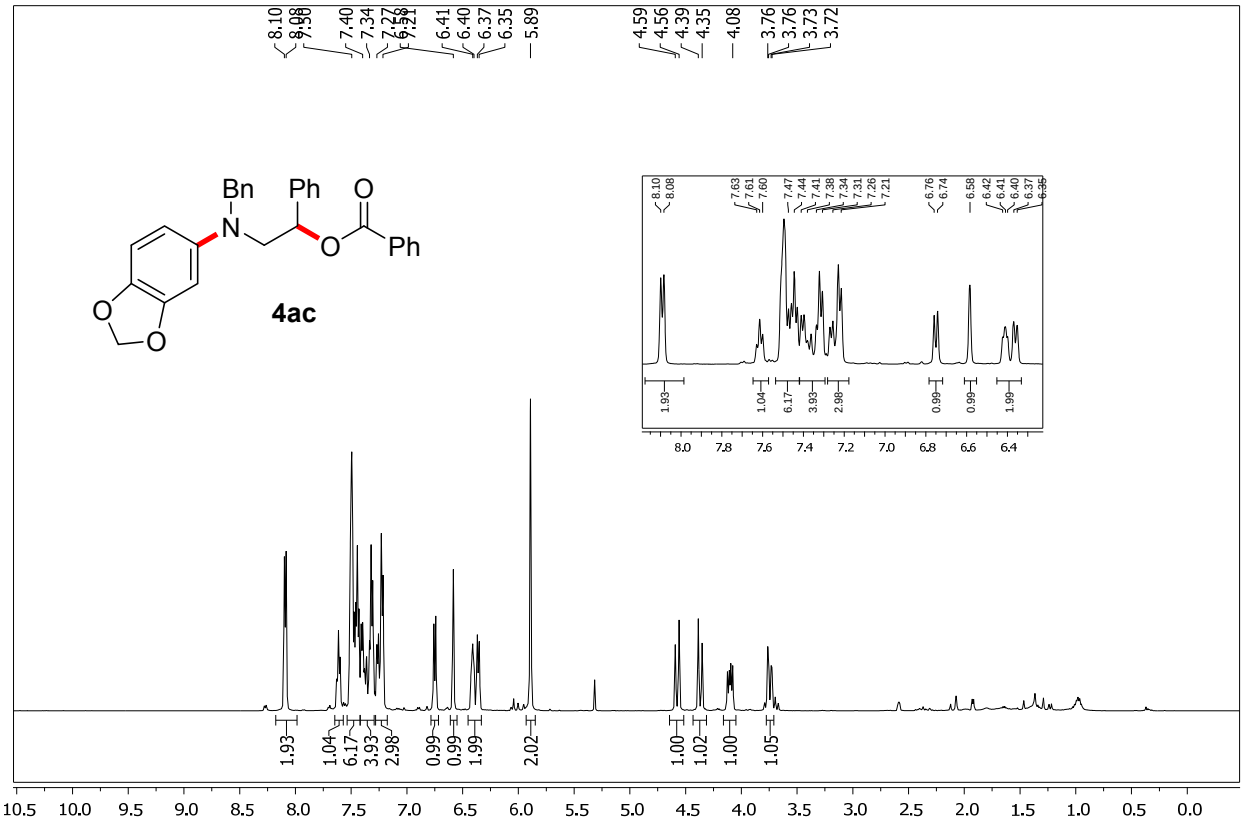


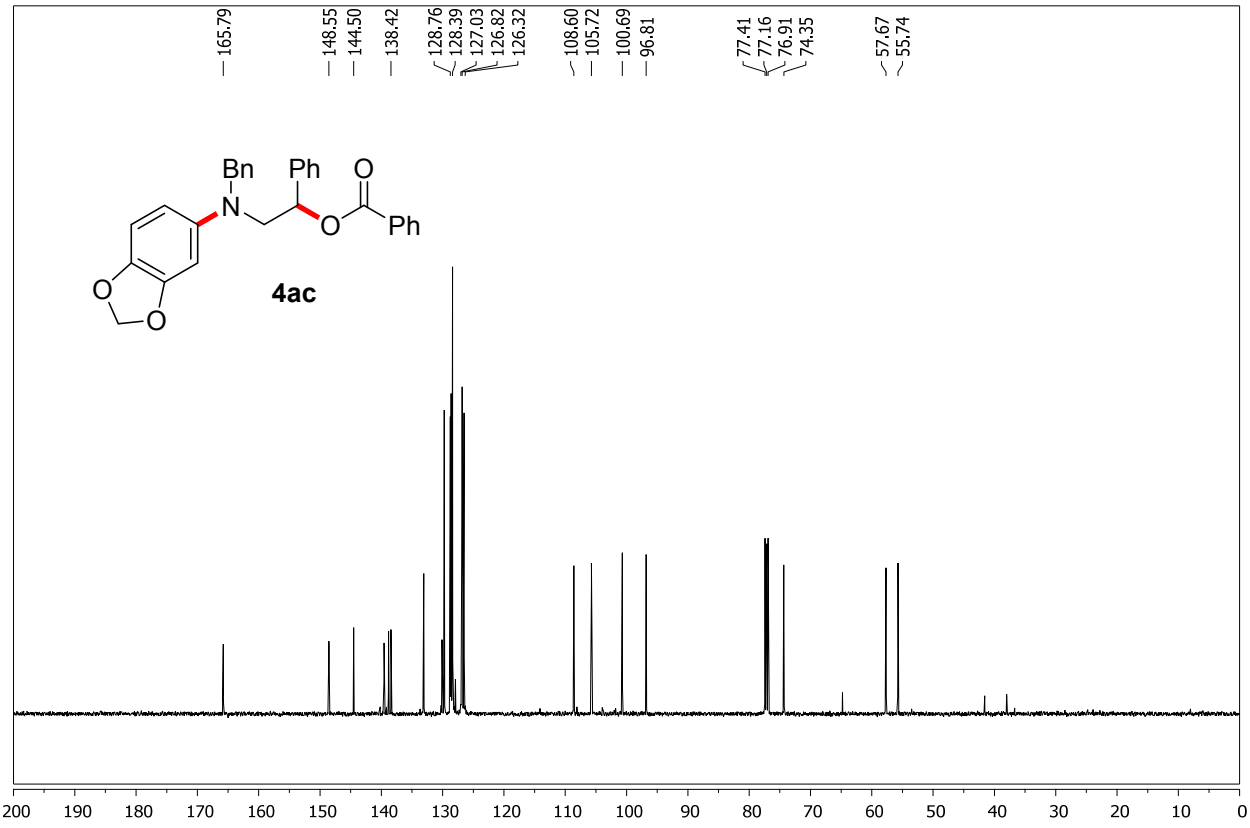
2-(Benzyl(2,3-dihydro-1*H*-inden-5-yl)amino)-1-phenylethyl benzoate (4ab)



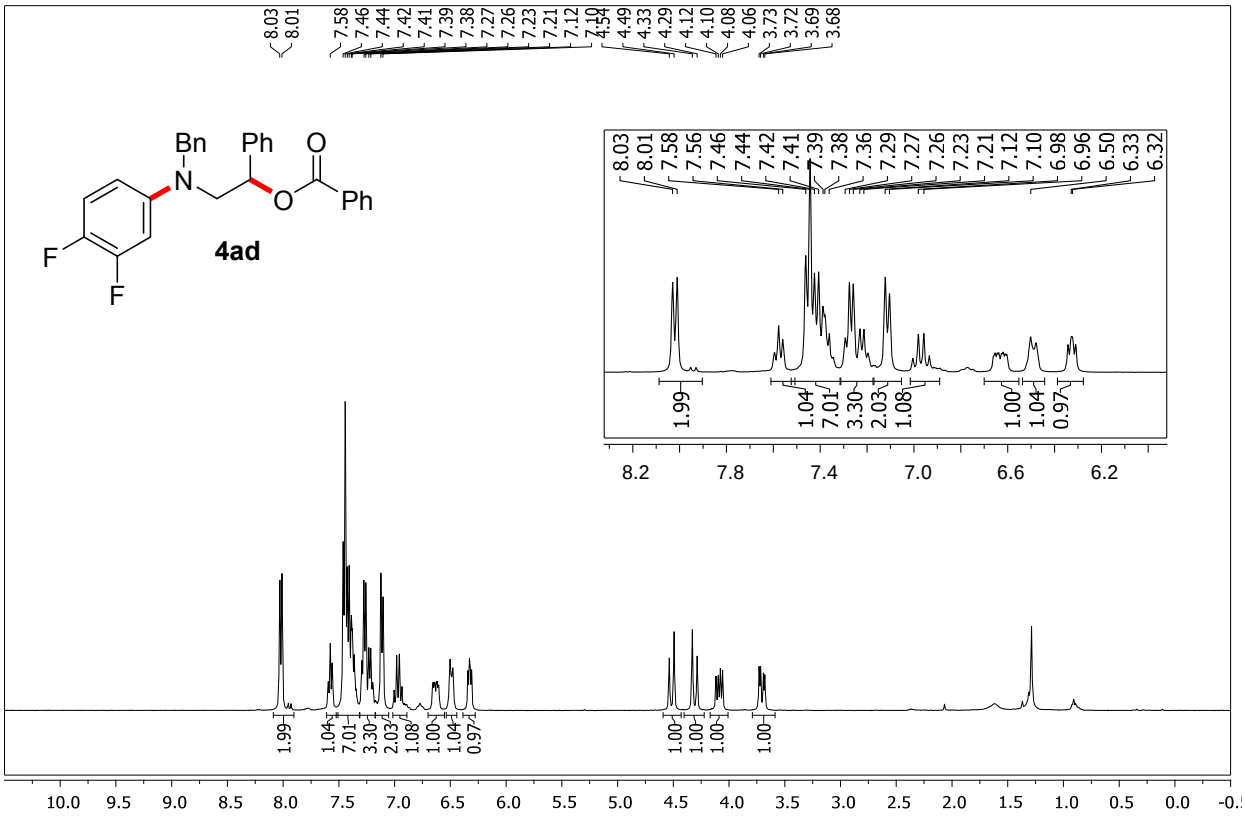


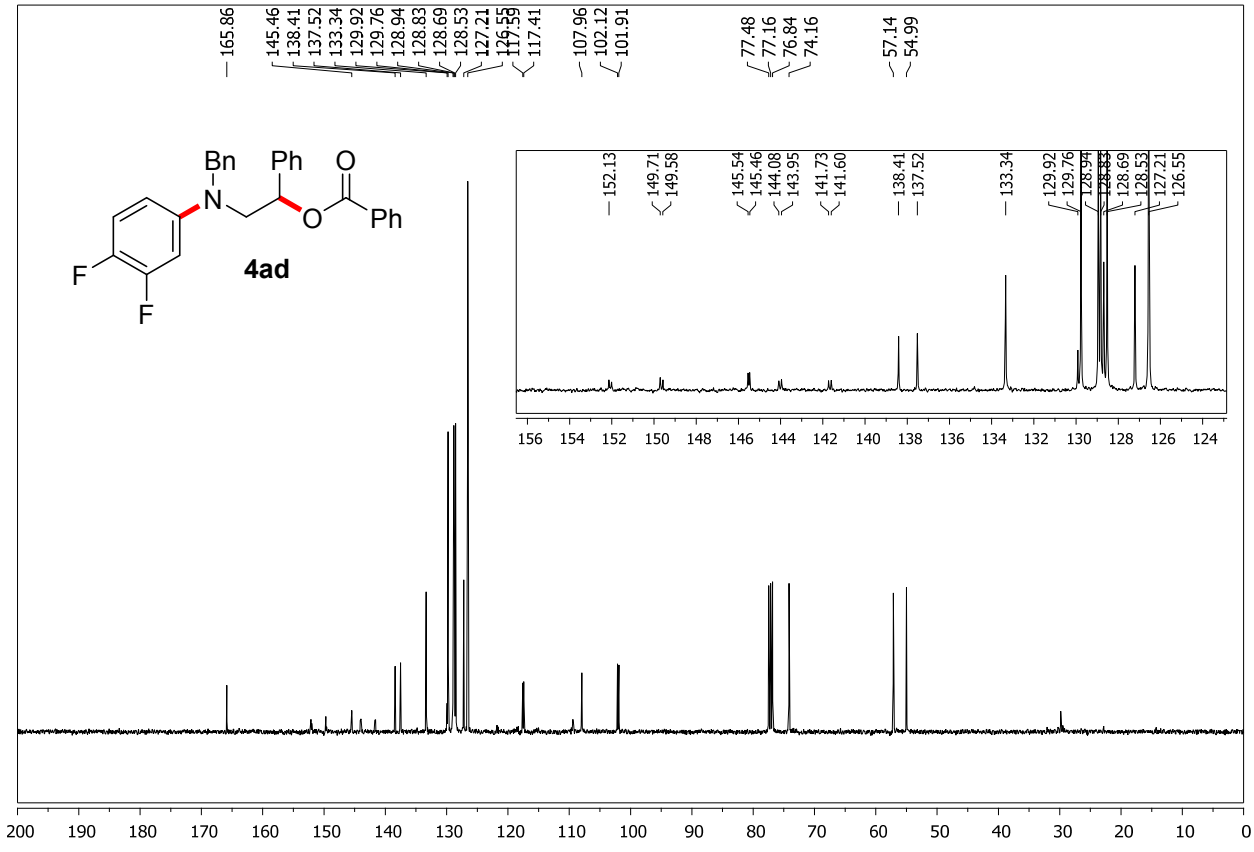
2-(Benzo[*d*][1,3]dioxol-5-yl(benzyl)amino)-1-phenylethyl benzoate (4ac)



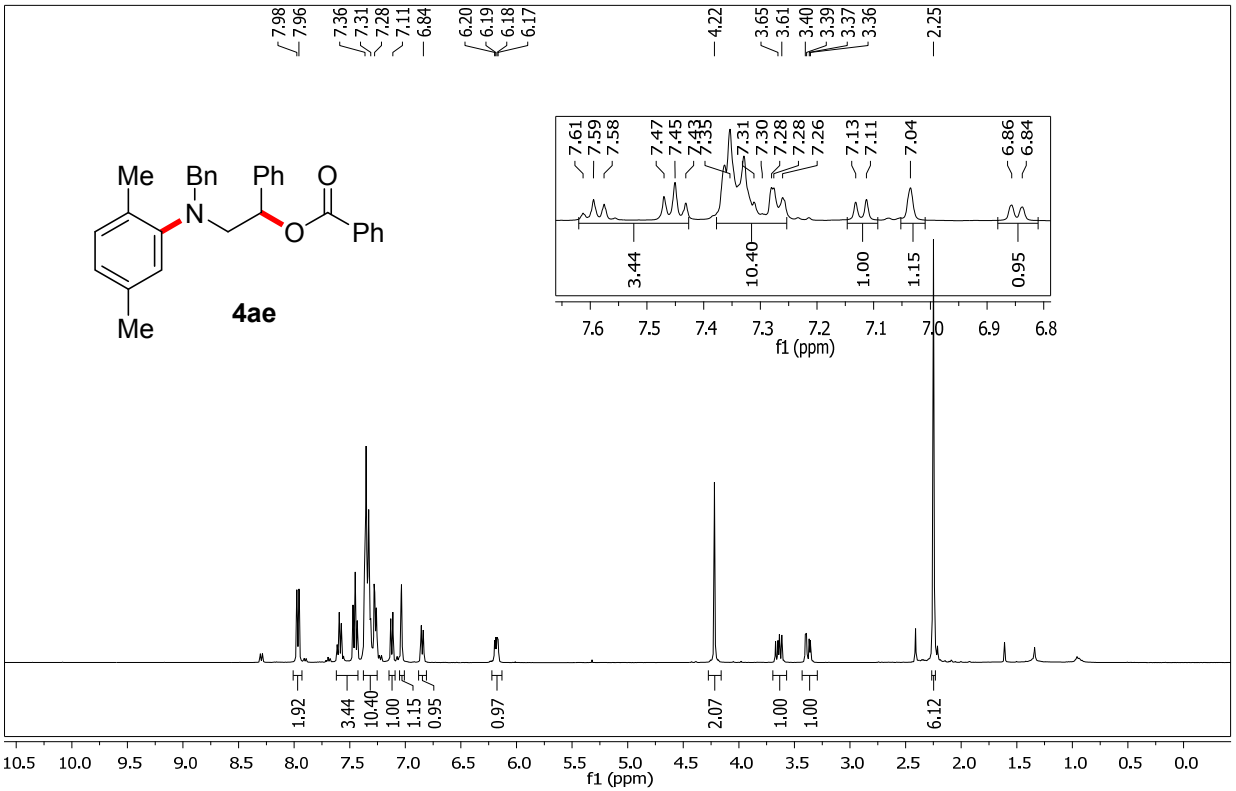


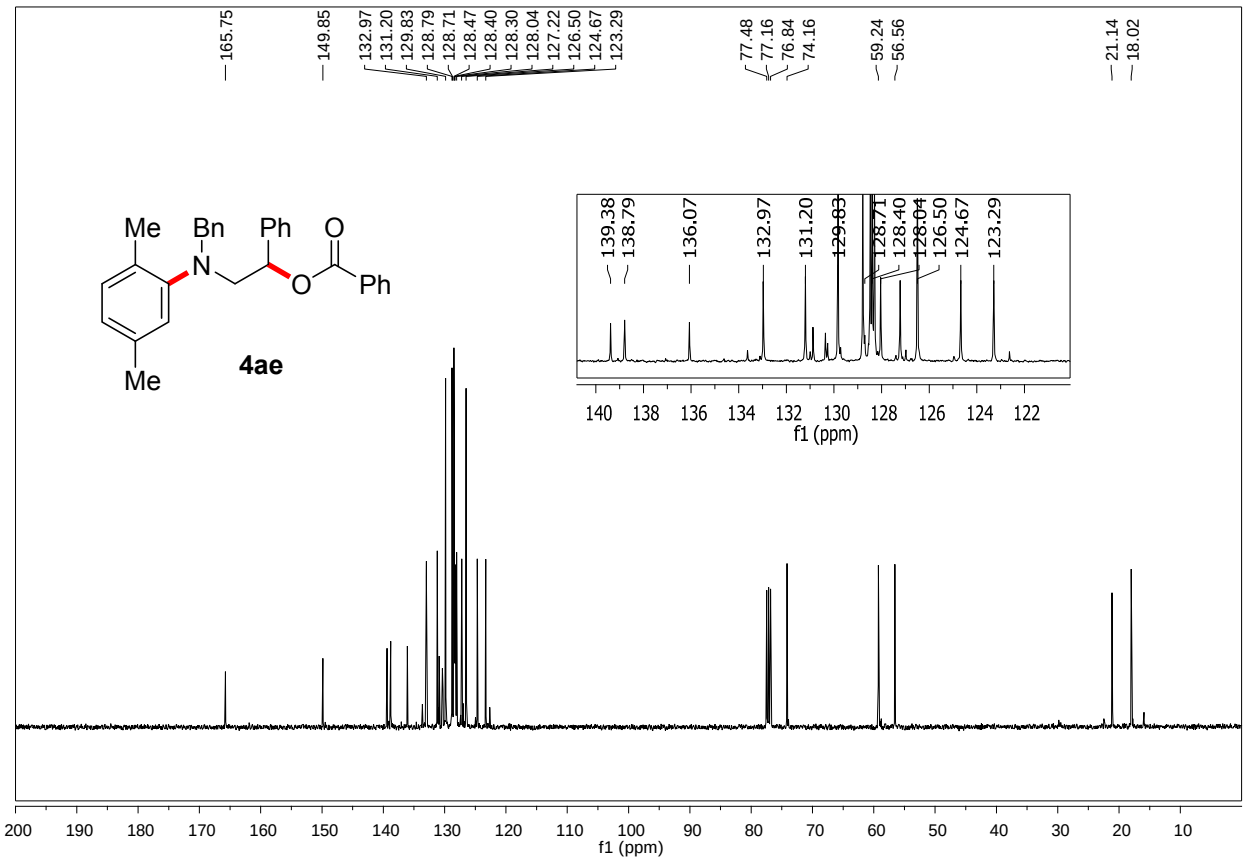
2-(Benzyl(3,4-difluorophenyl)amino)-1-phenylethyl benzoate (4ad)



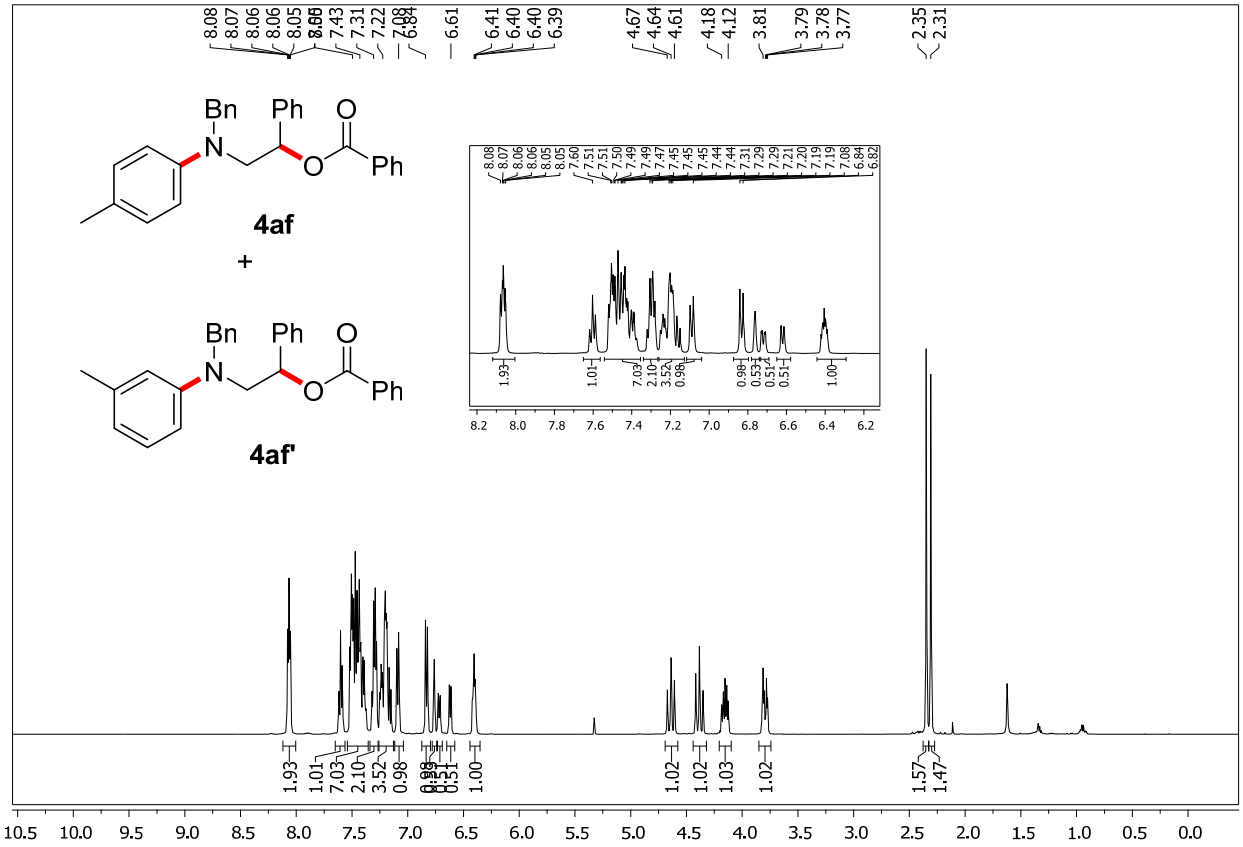


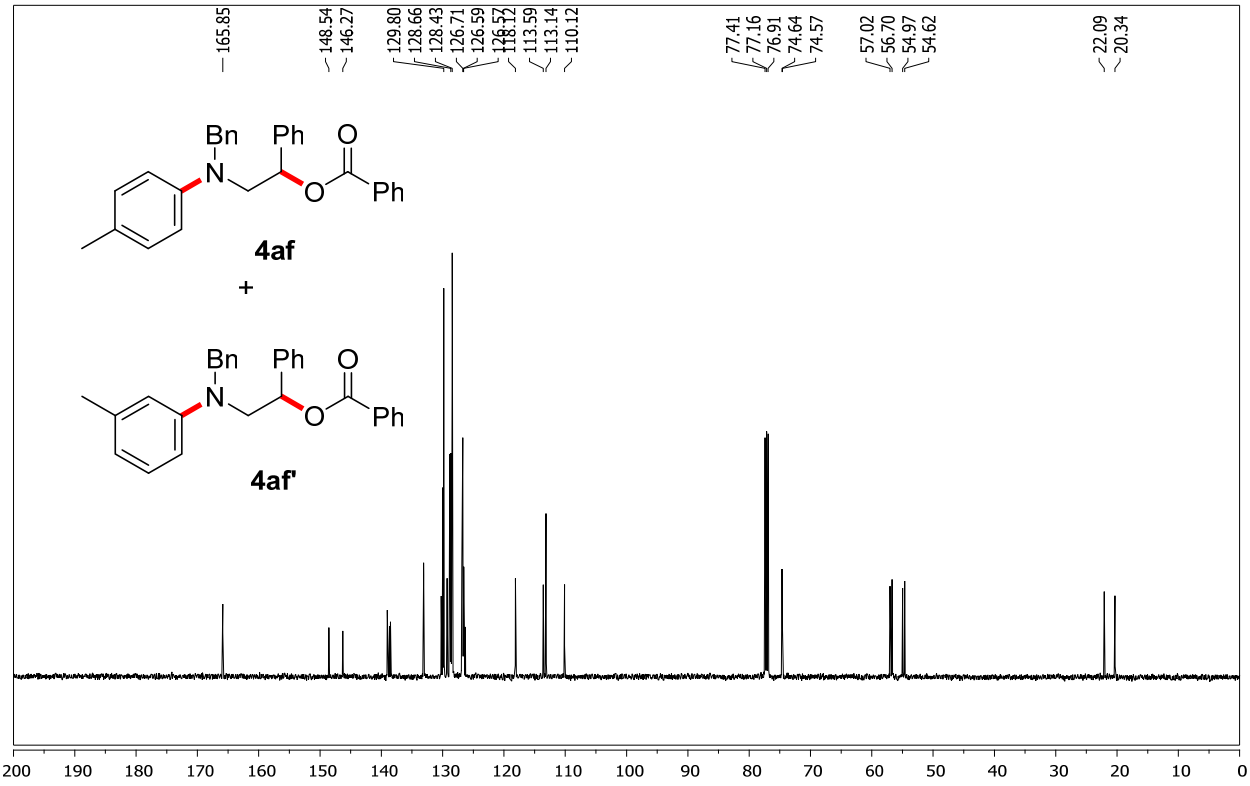
2-(Benzyl(2,5-dimethylphenyl)amino)-1-phenylethyl benzoate (4ae)



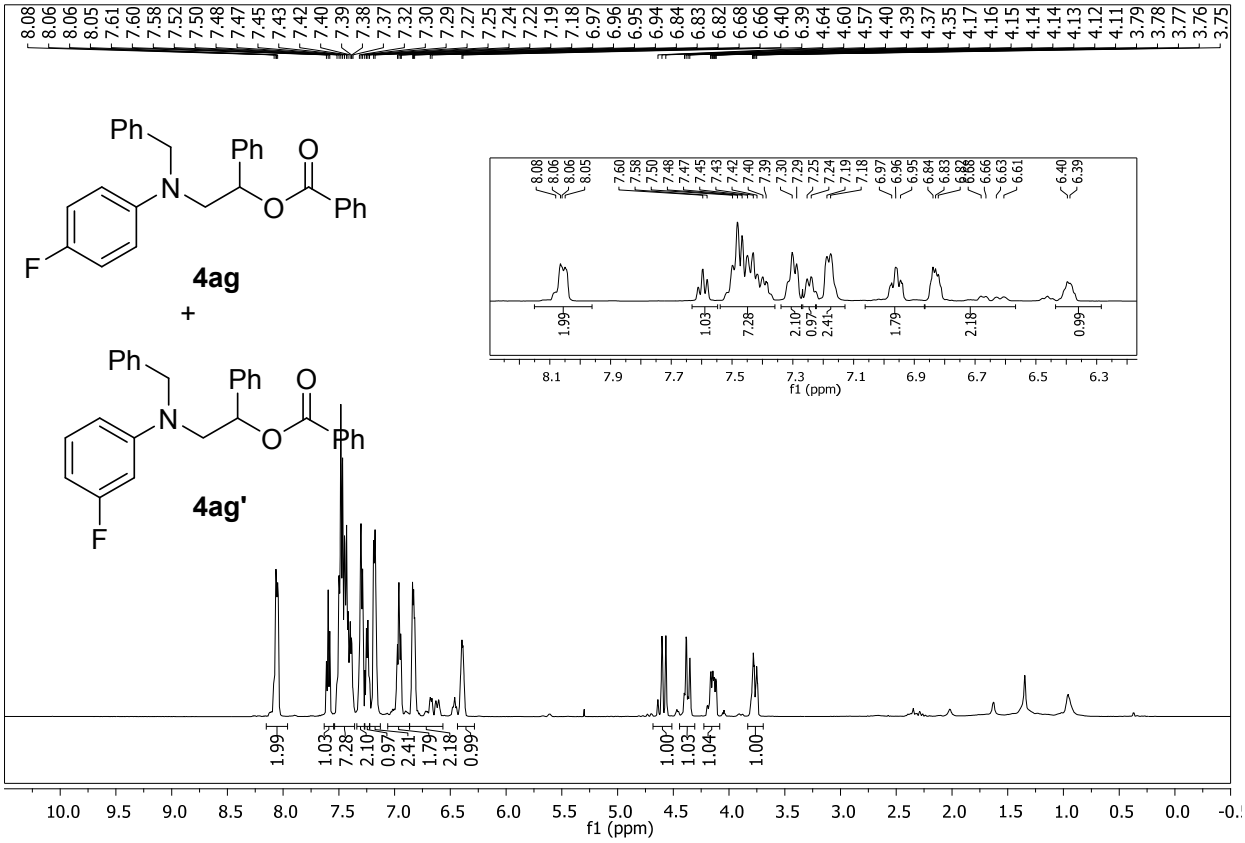


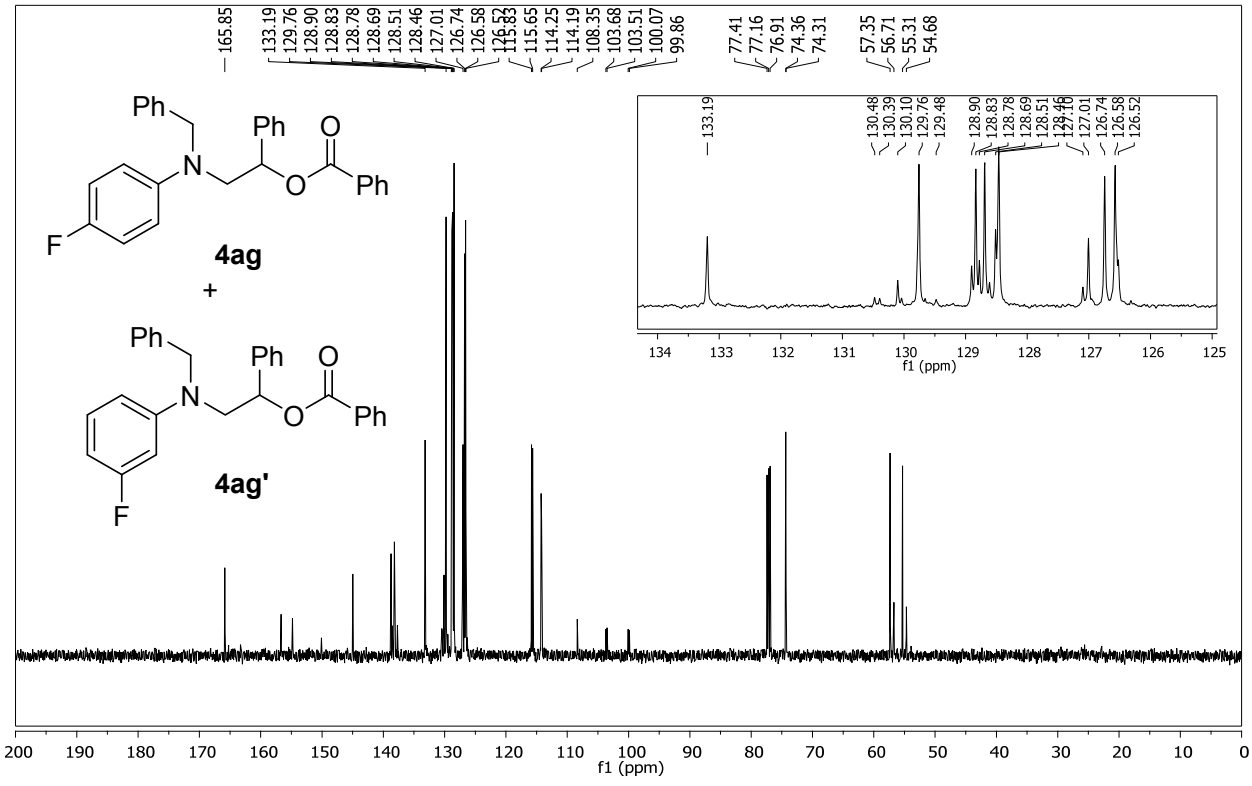
2-(Benzyl(p-tolyl)amino)-1-phenylethyl benzoate (4af) and 2-(Benzyl(m-tolyl)amino)-1-phenylethyl benzoate (4af')



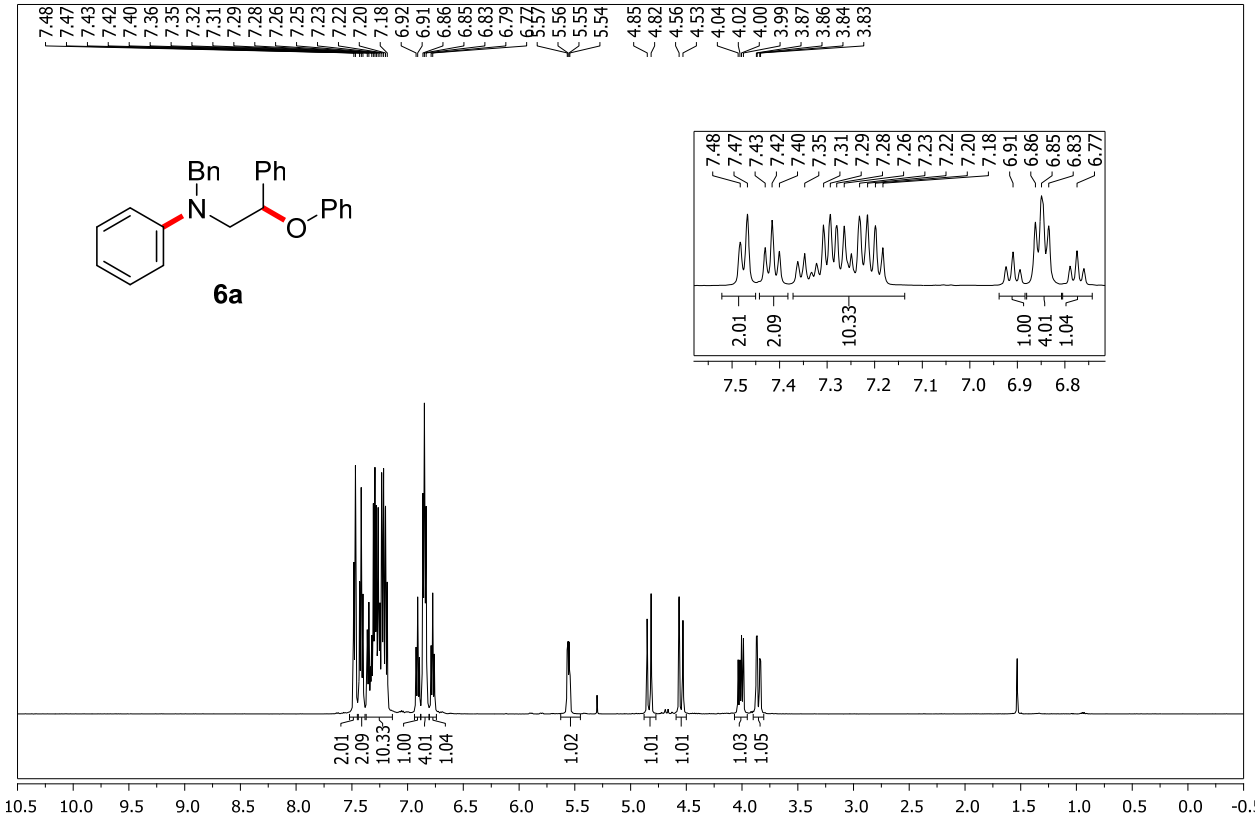


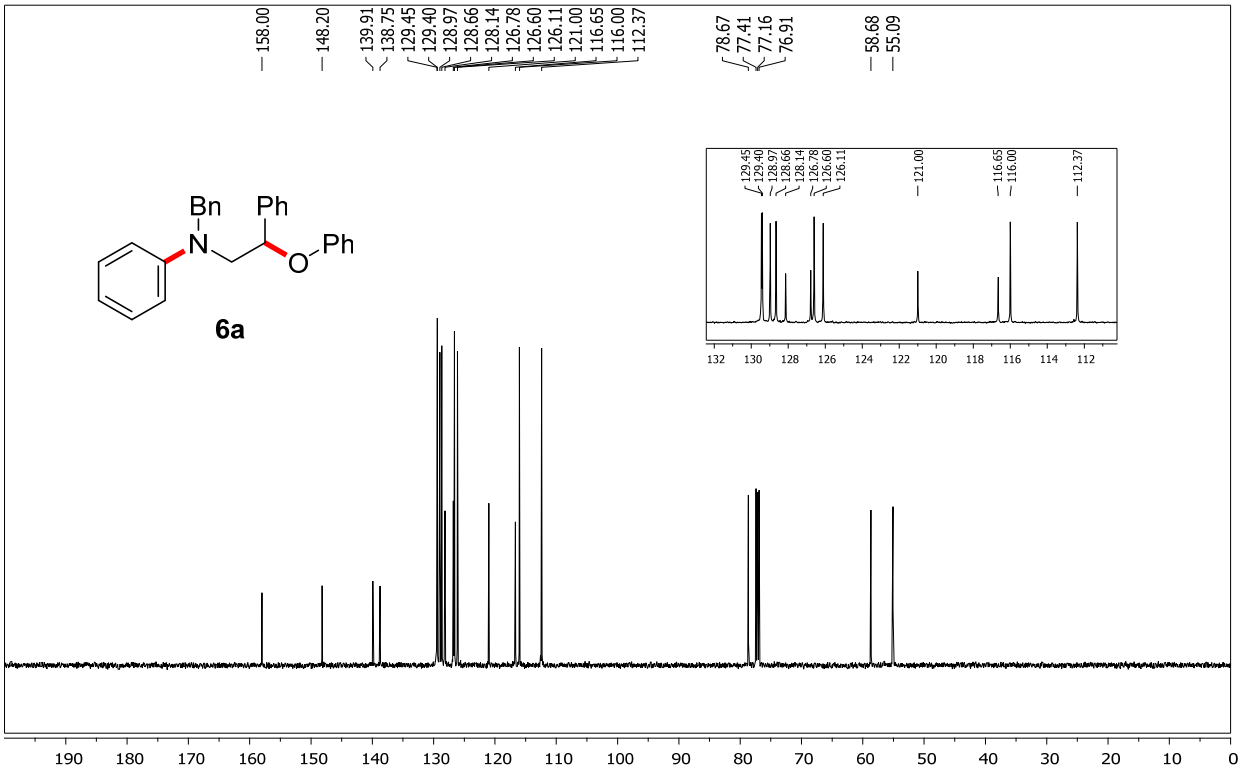
2-(Benzyl(4-fluorophenyl)amino)-1-phenylethyl benzoate (4ag) and 2-(Benzyl(3-fluoro phenyl)amino)-1-phenylethyl benzoate (4ag')



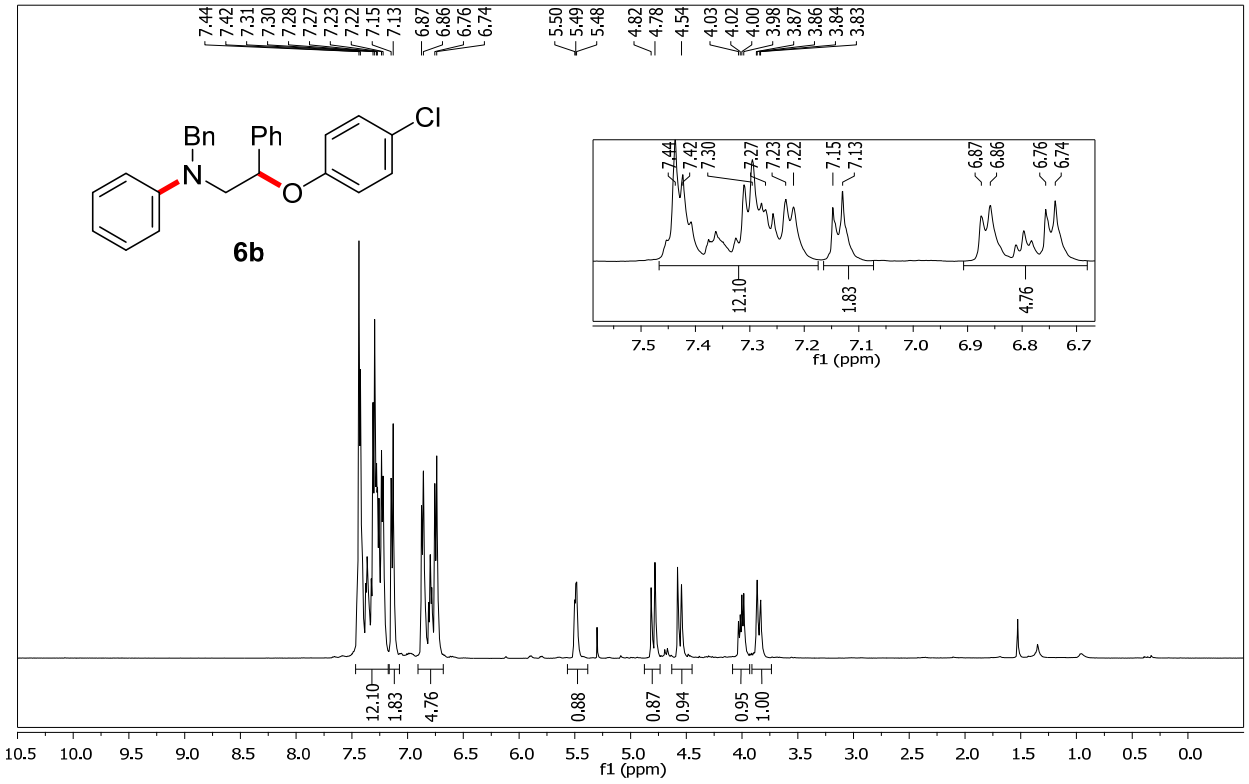


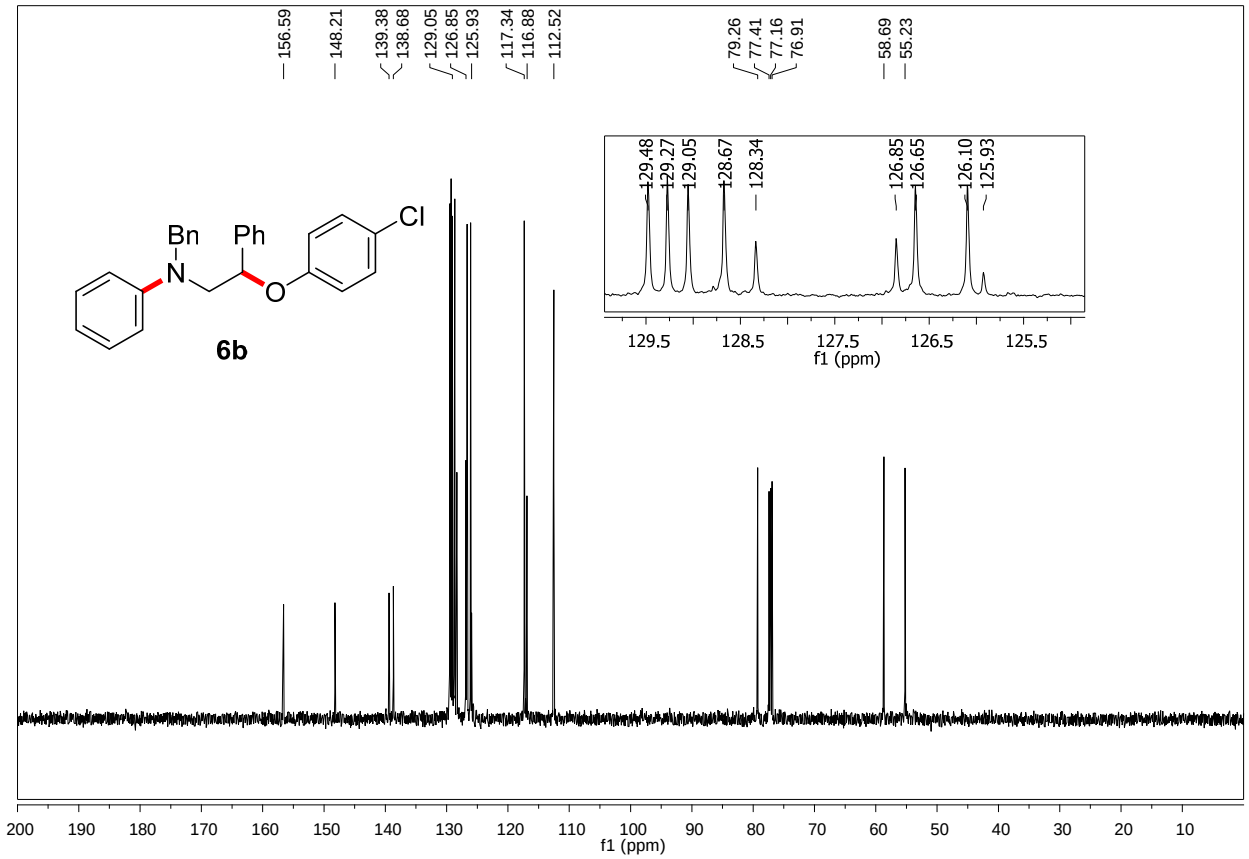
N-Benzyl-*N*-(2-phenoxy-2-phenylethyl)aniline (6a)



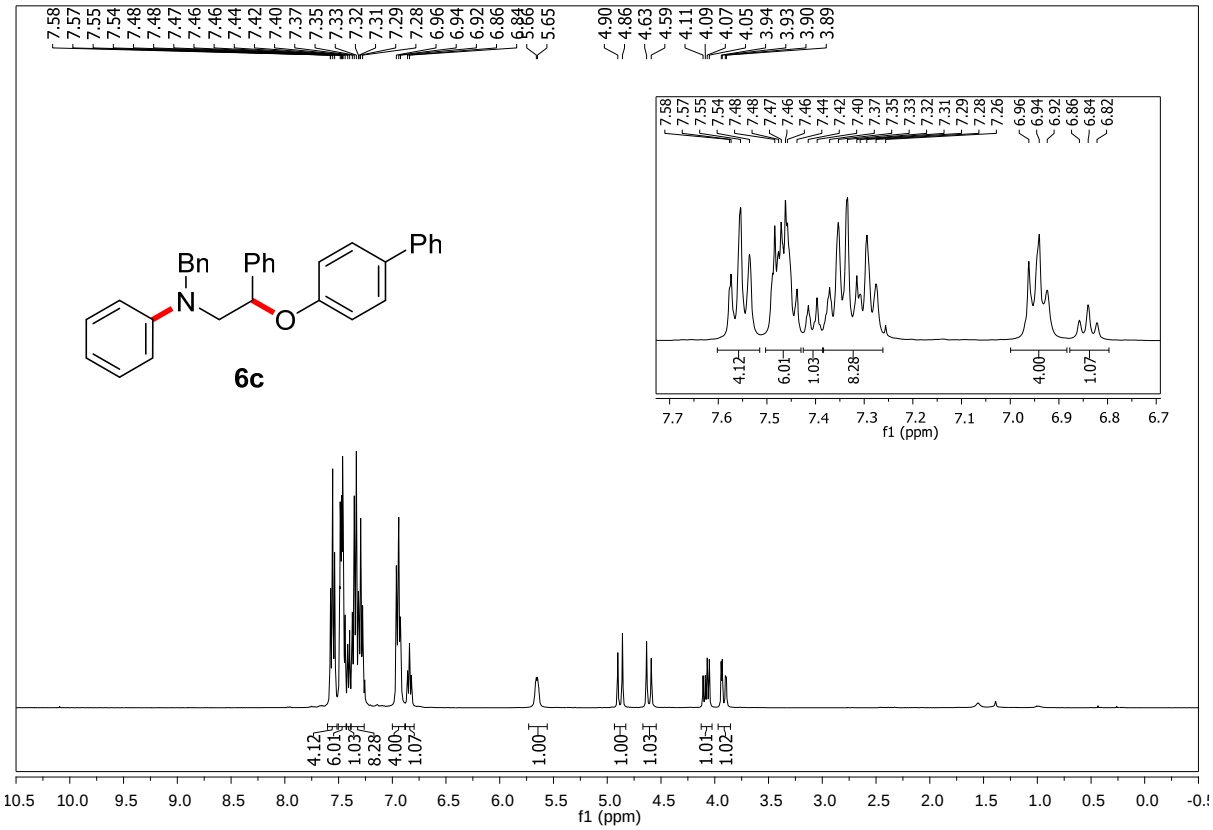


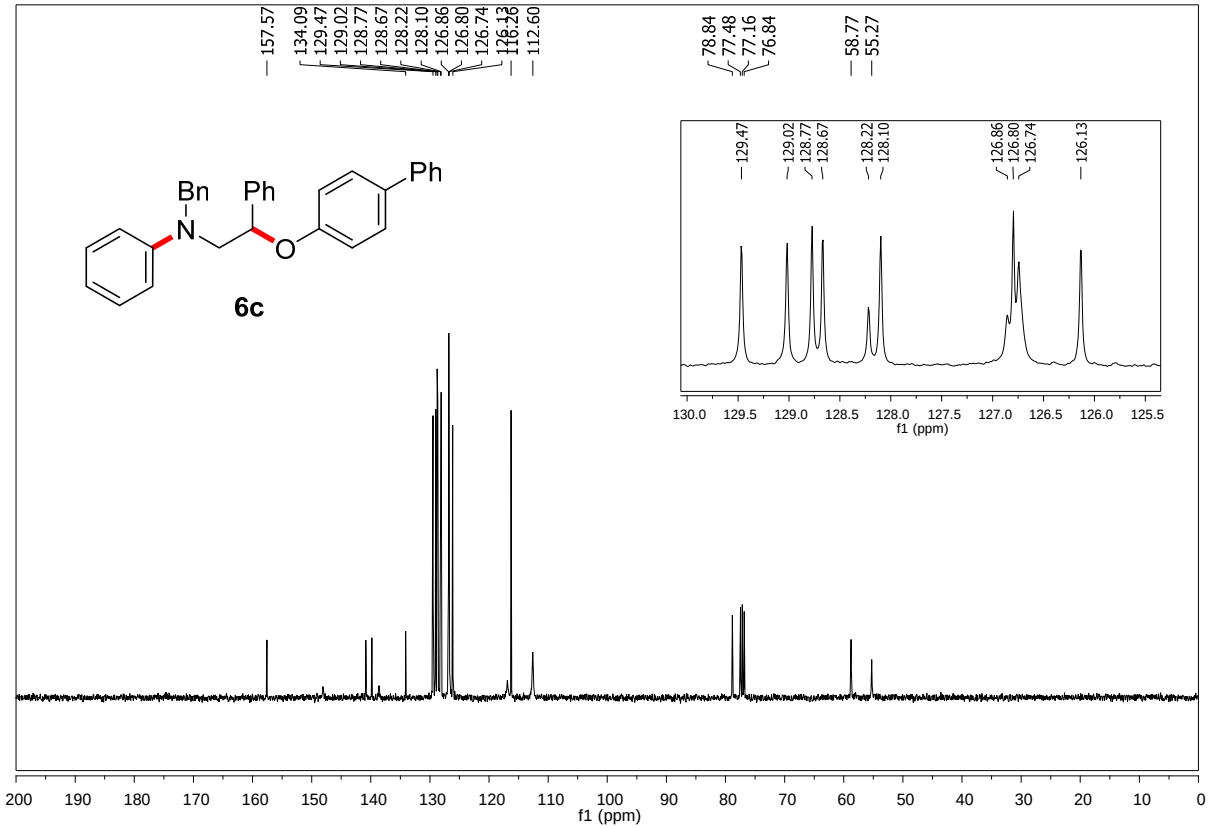
_N_-Benzyl-N-(2-(4-chlorophenoxy)-2-phenylethyl)aniline (6b)



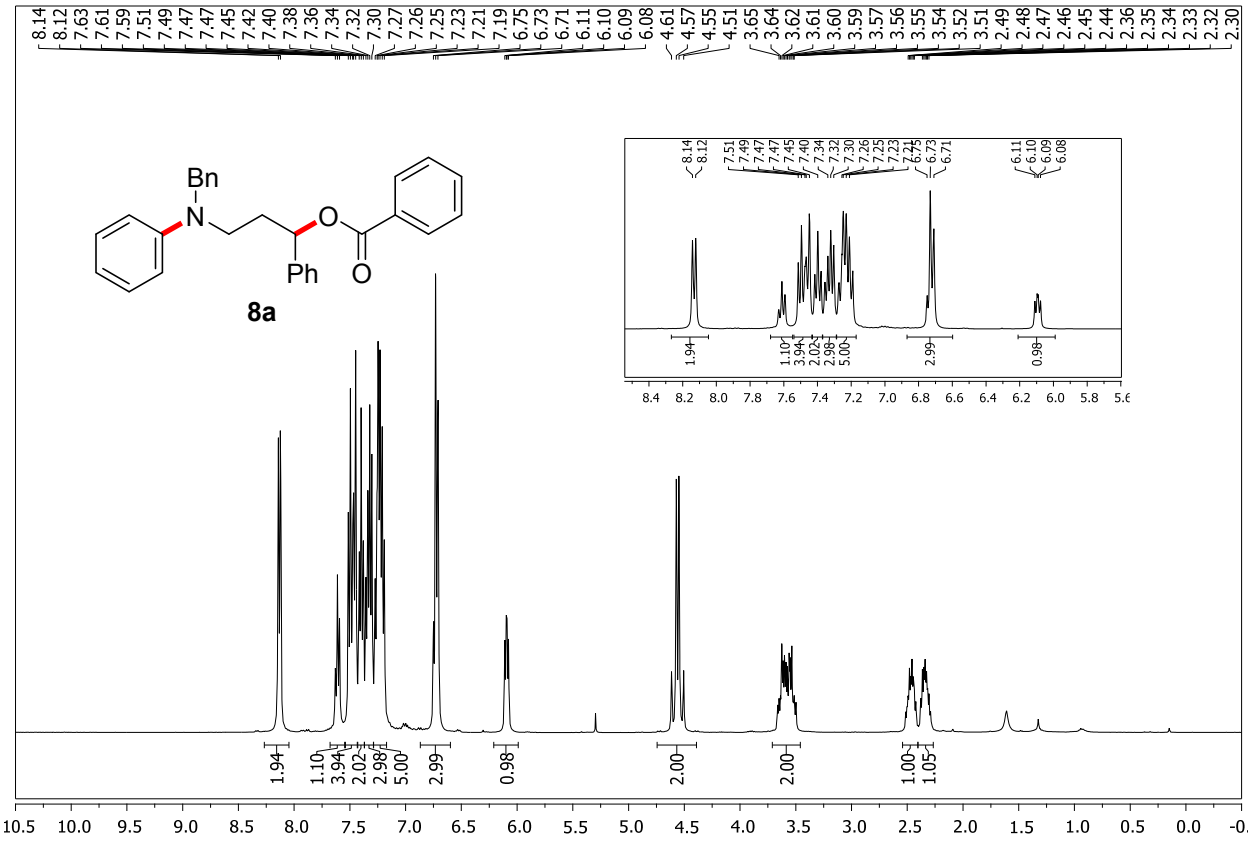


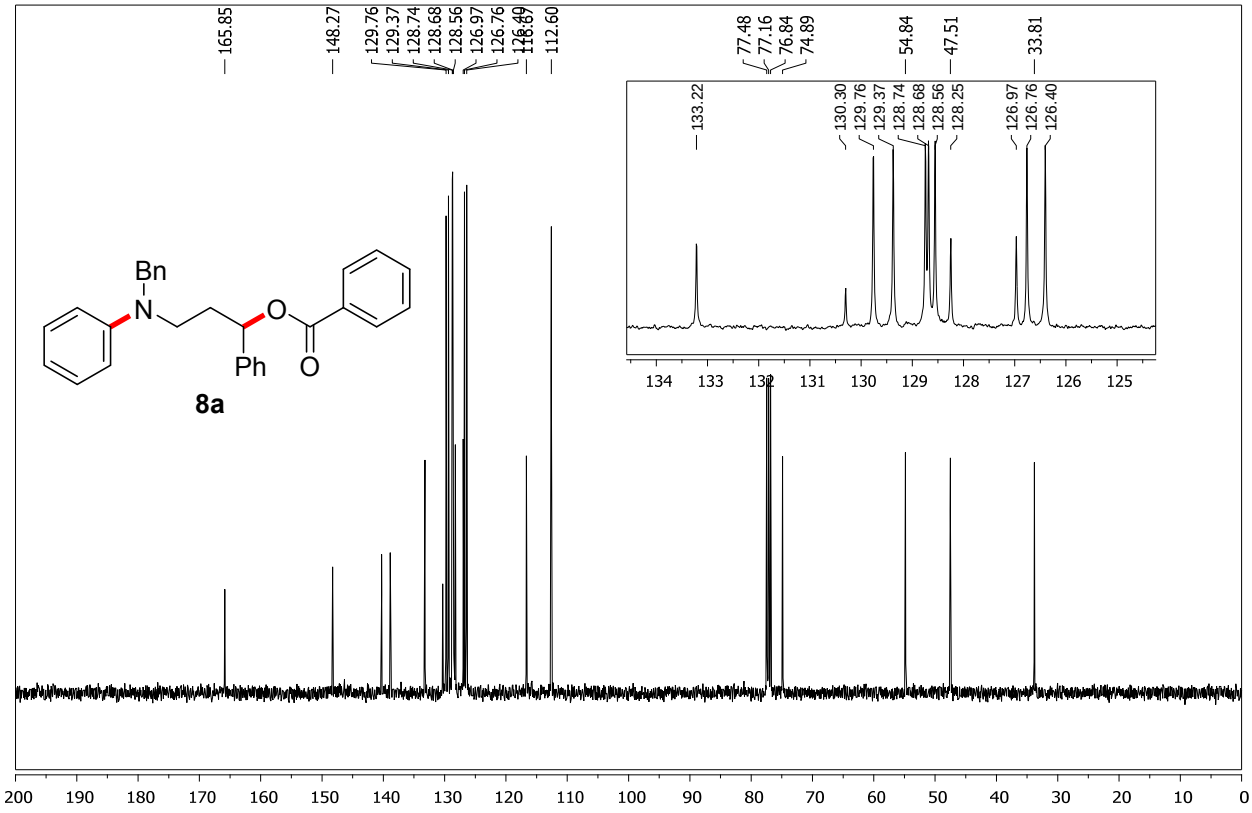
N-(2-([1,1'-Biphenyl]-4-yloxy)-2-phenylethyl)-*N*-benzylaniline (6c)



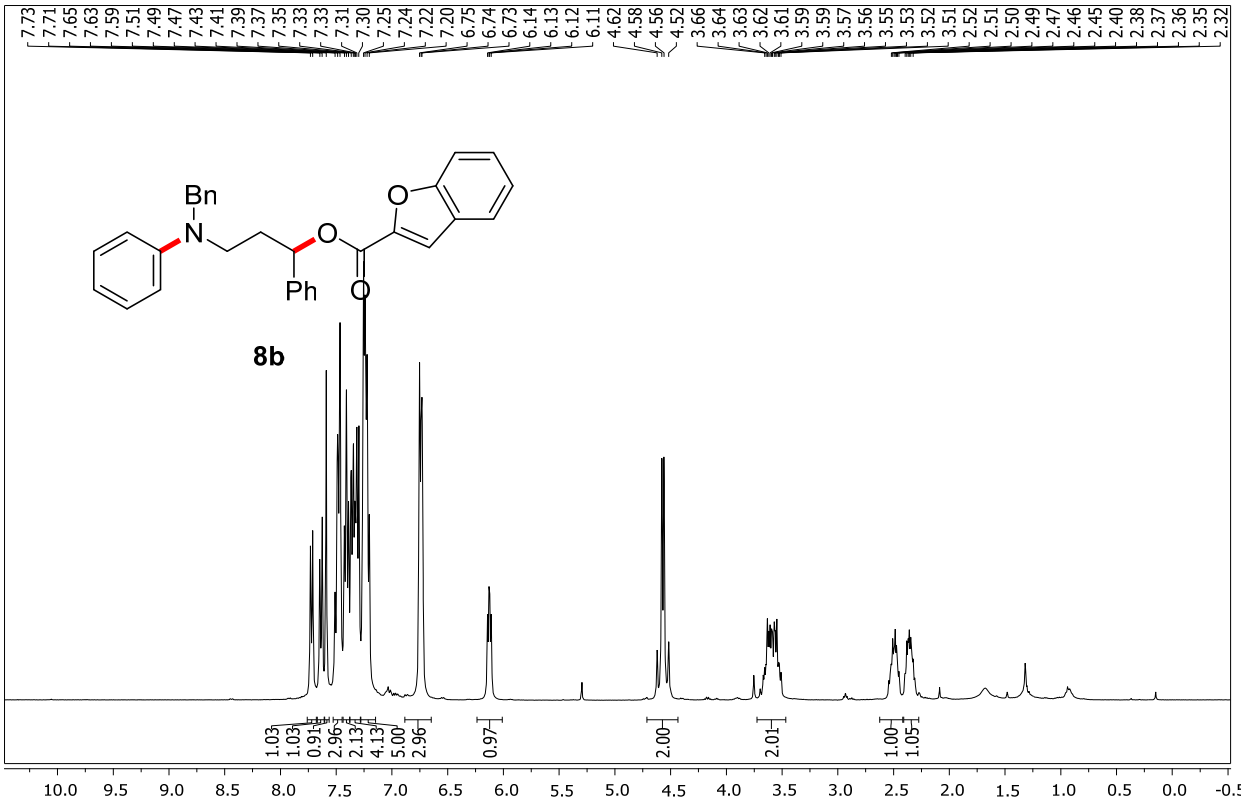


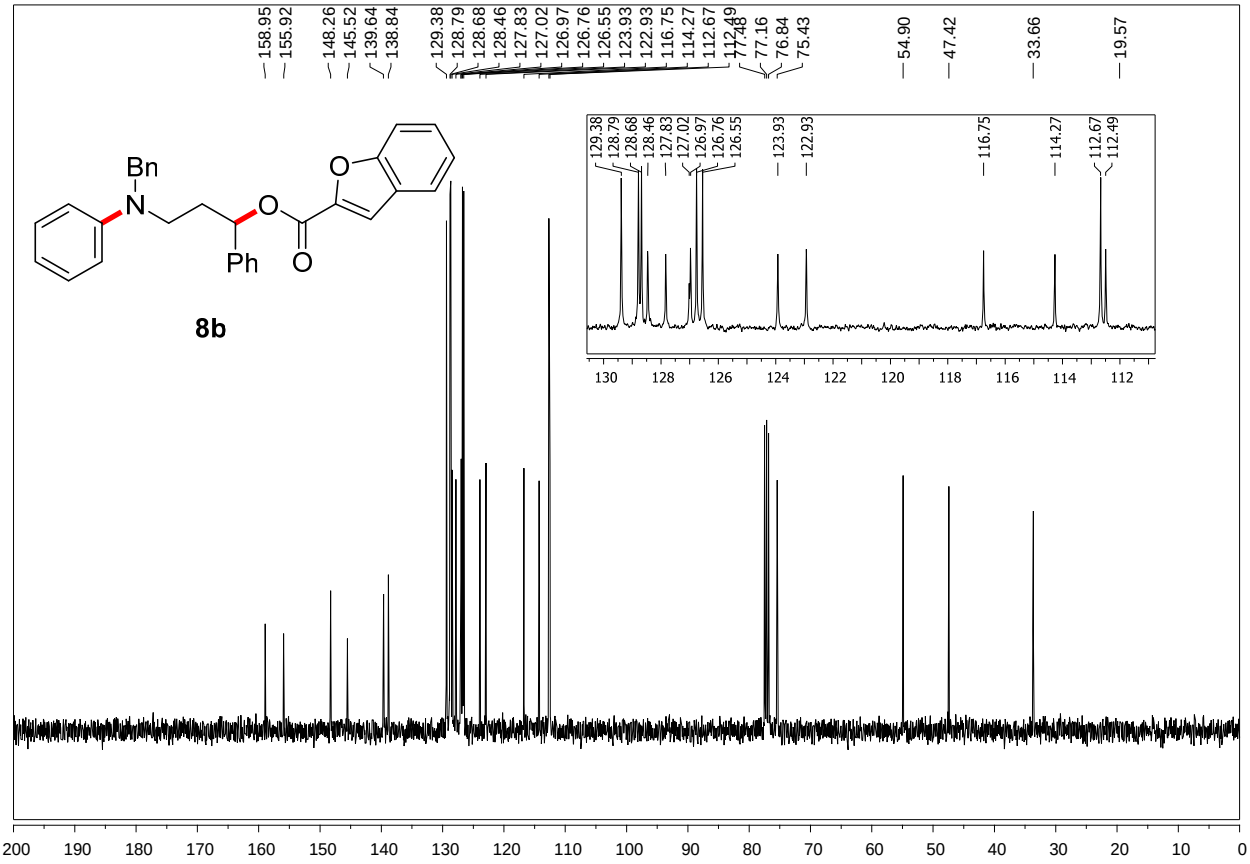
2-(Benzyl(phenyl)amino)-1-phenylpropyl benzoate (8a)



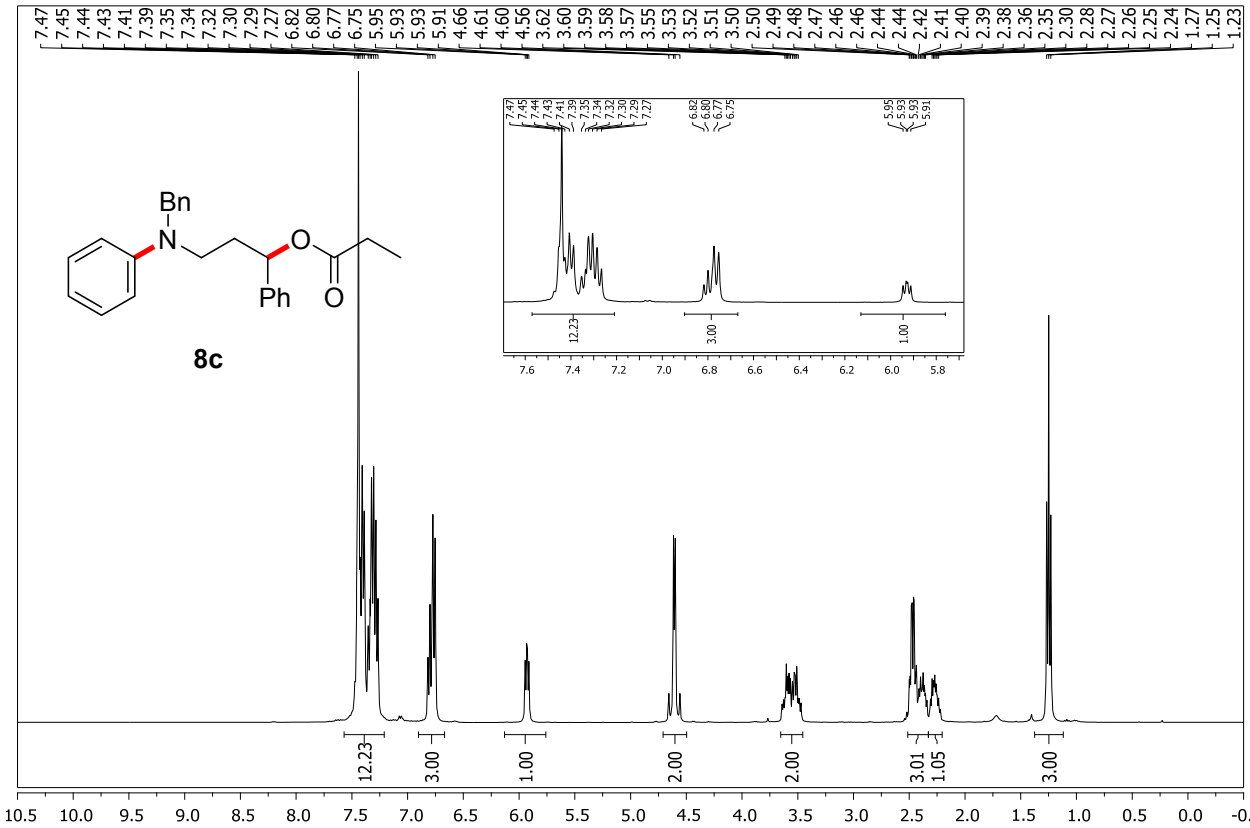


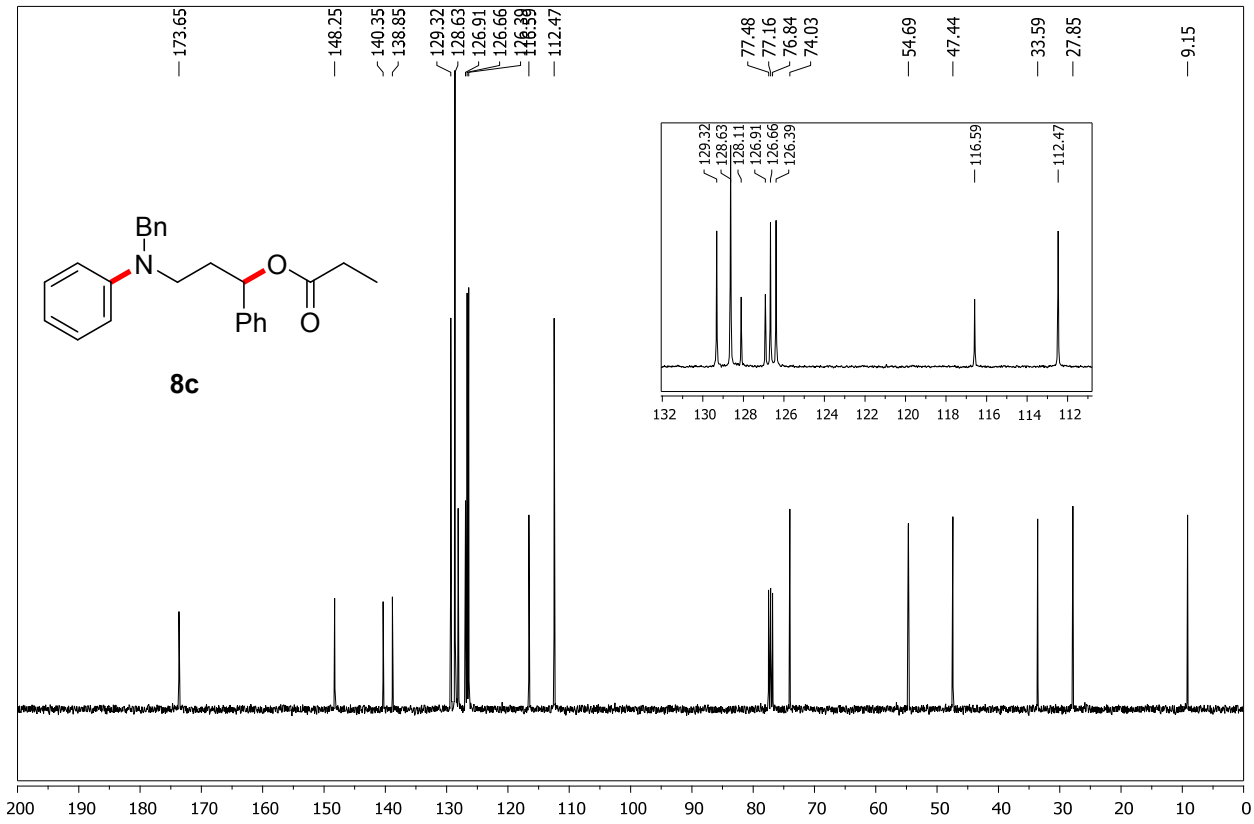
3-(Benzyl(phenyl)amino)-1-phenylpropyl benzofuran-2-carboxylate (8b)



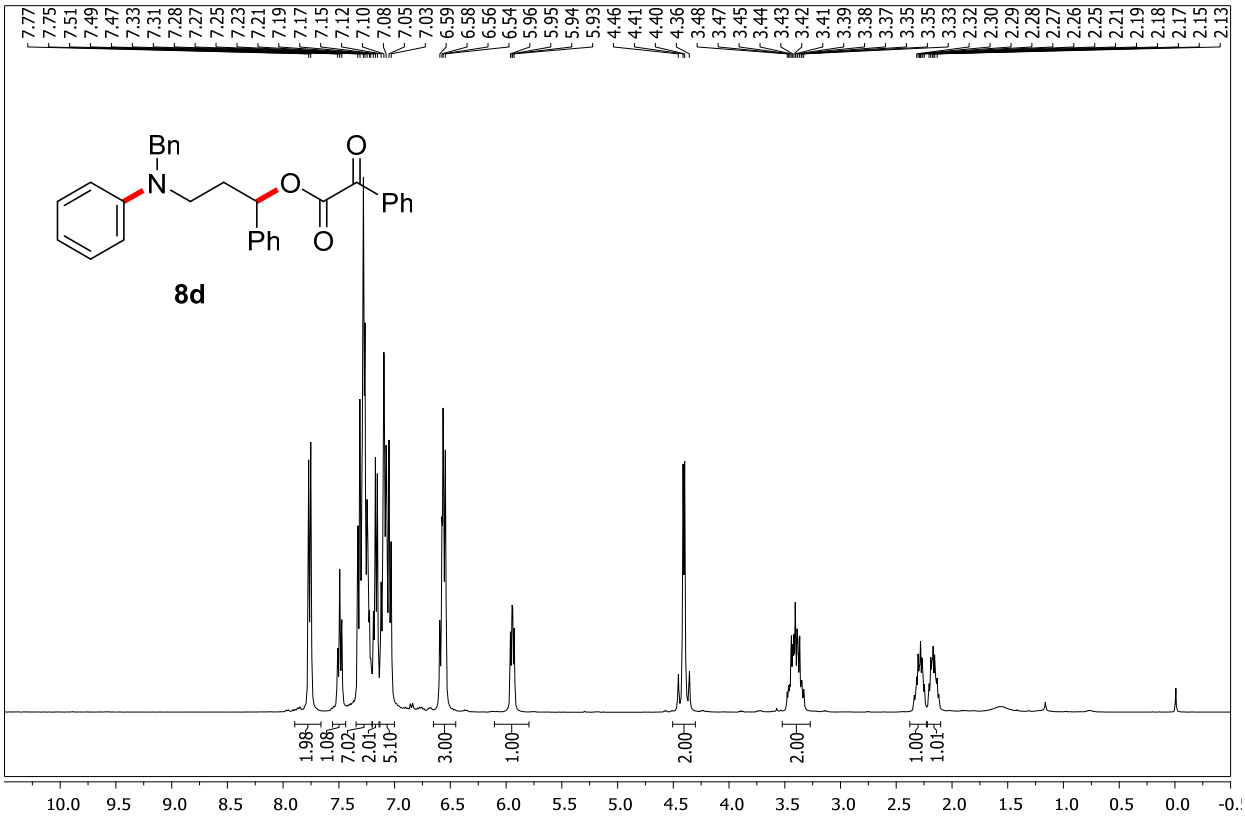


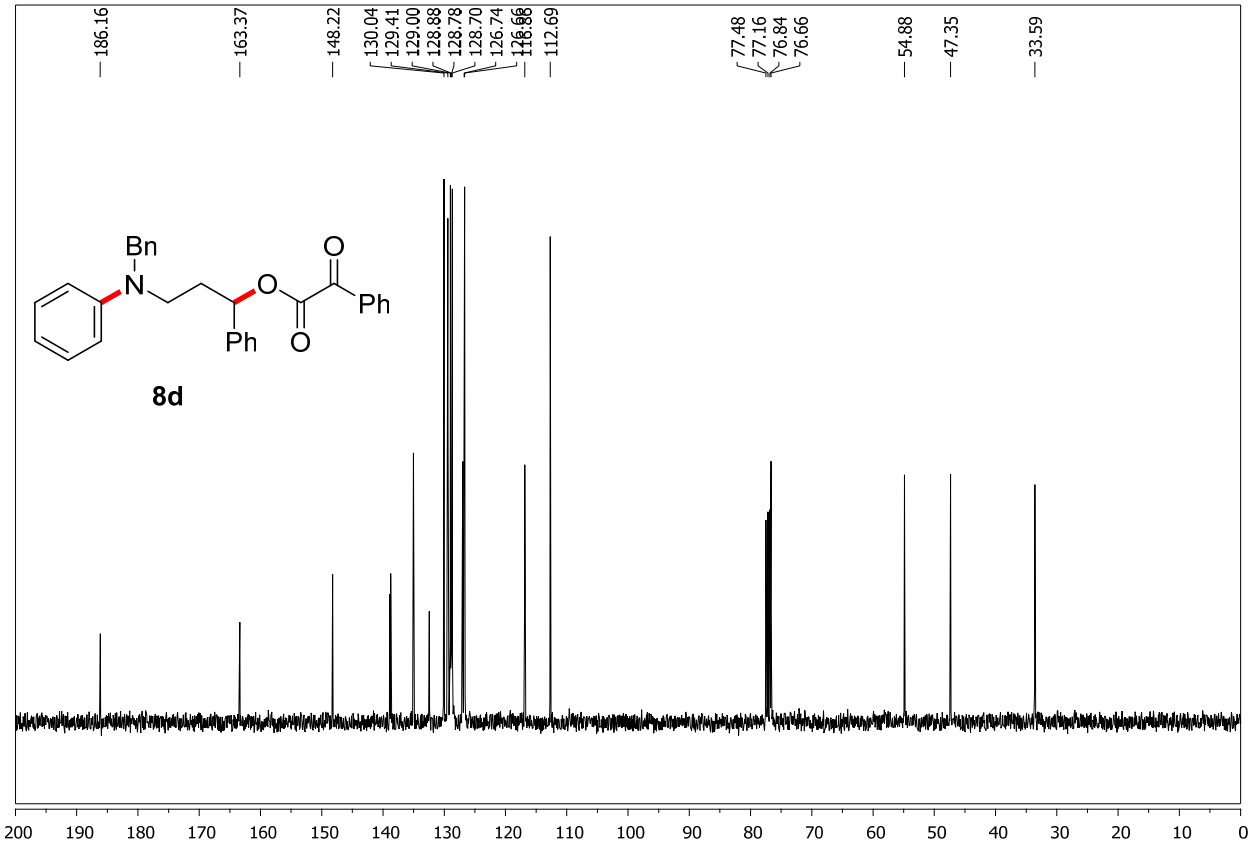
3-(Benzyl(phenyl)amino)-1-phenylpropyl propionate (8c)



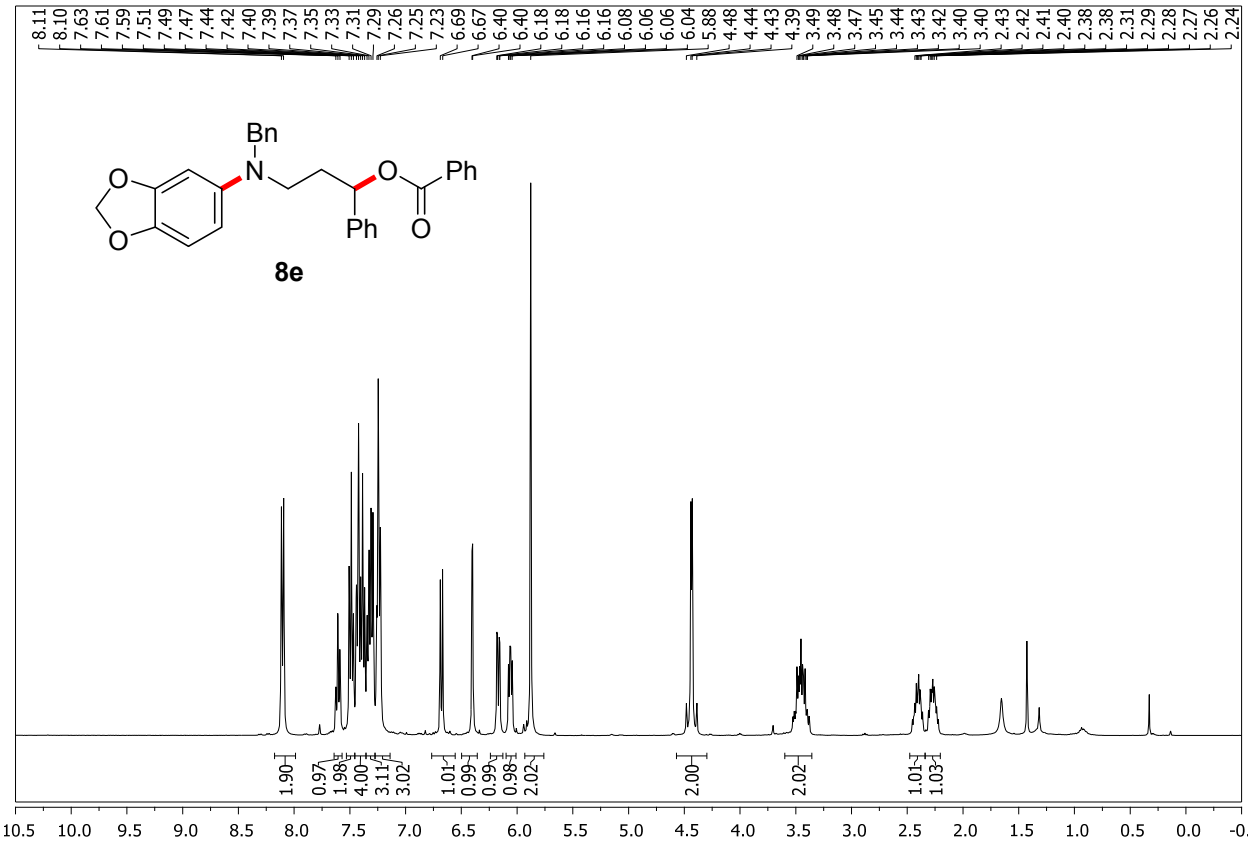


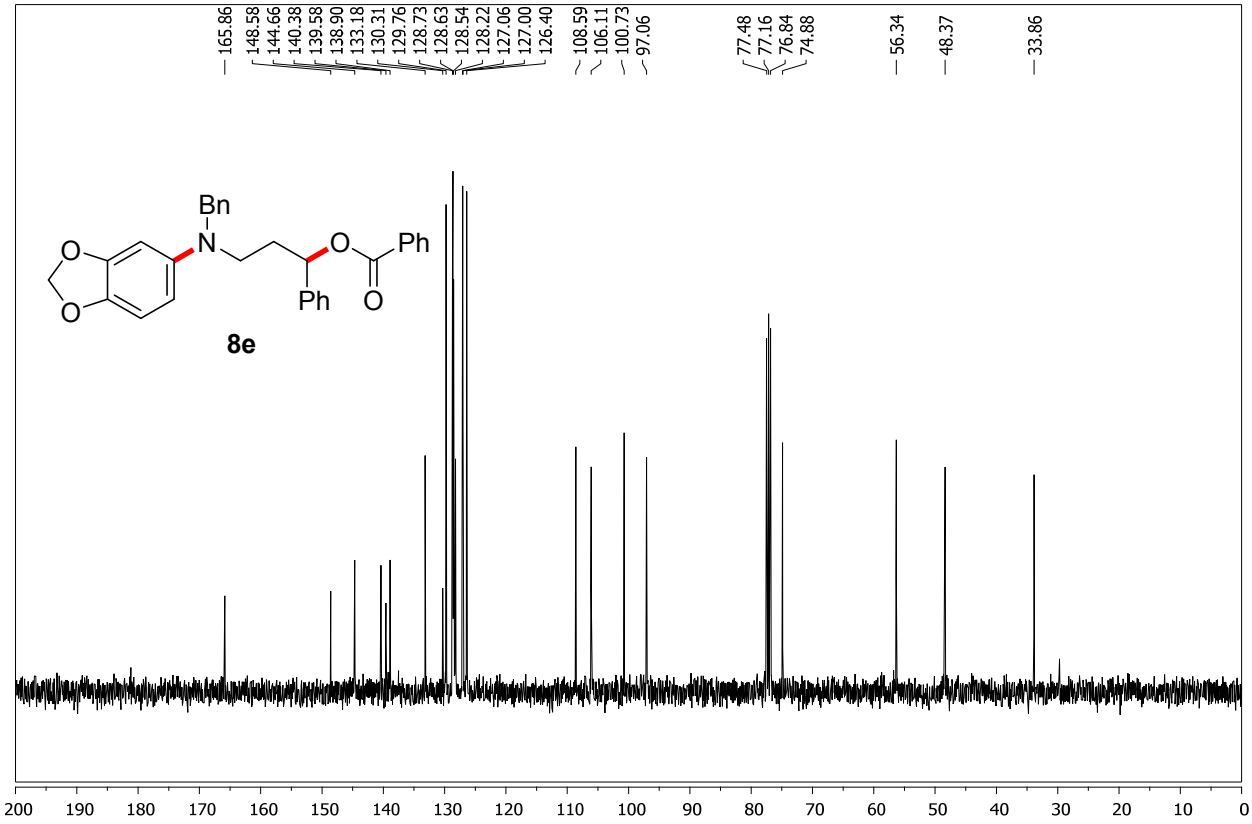
3-(Benzyl(phenyl)amino)-1-phenylpropyl 2-oxo-2-phenylacetate (8d)



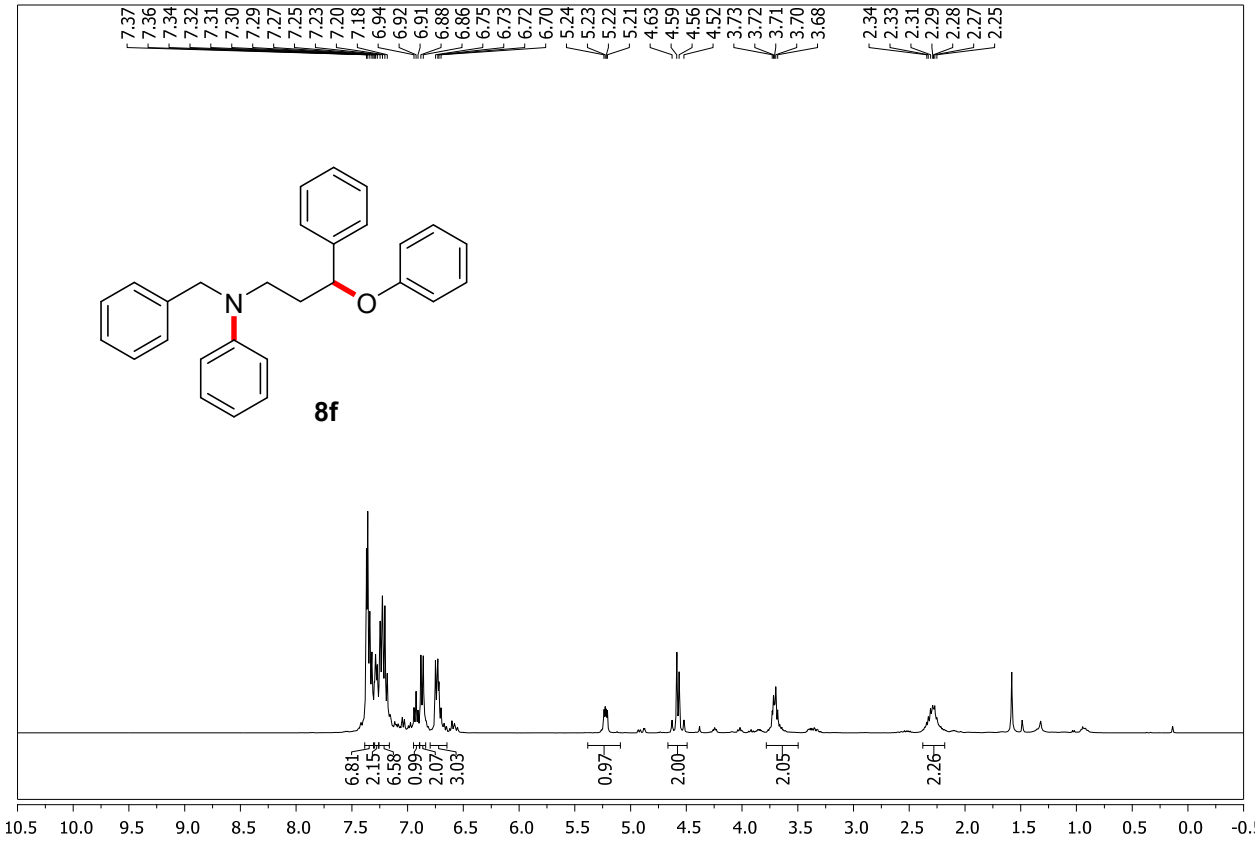


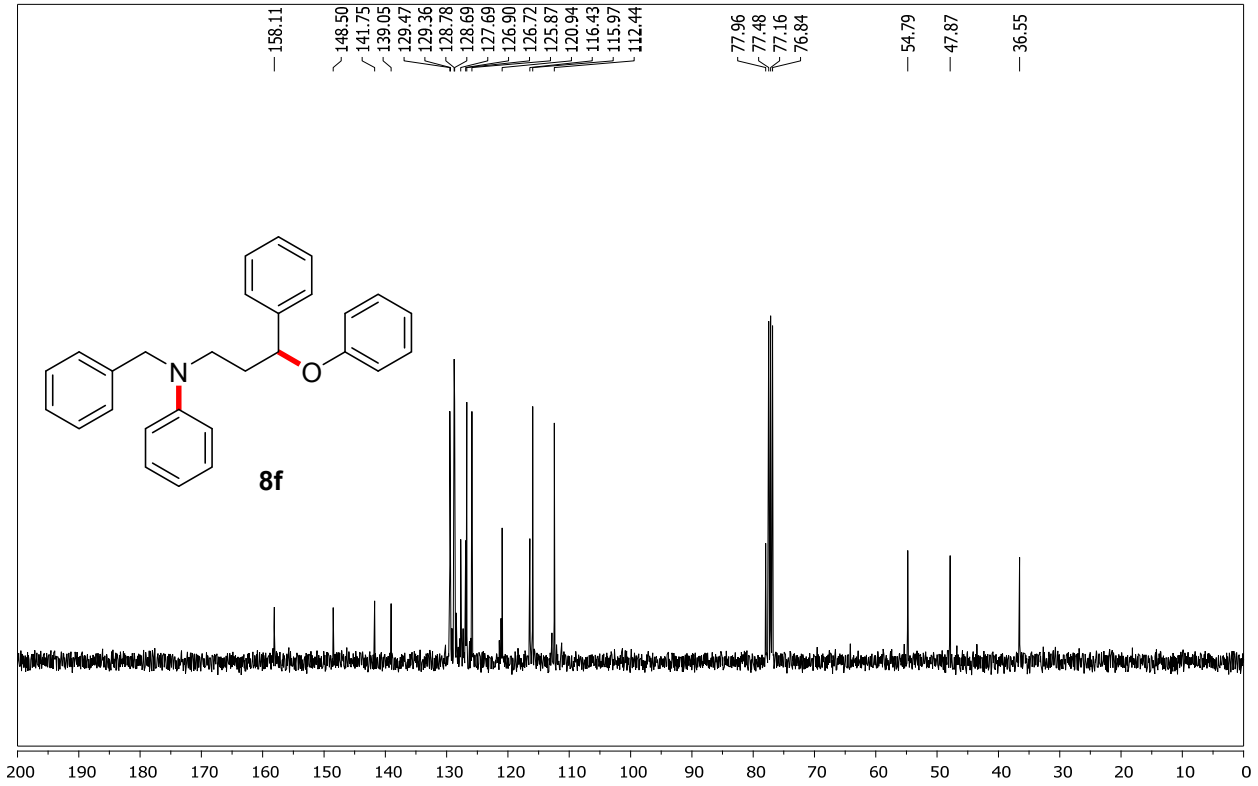
3-(Benzo[*d*][1,3]dioxol-5-yl(benzyl)amino)-1-phenylpropyl benzoate (8e)



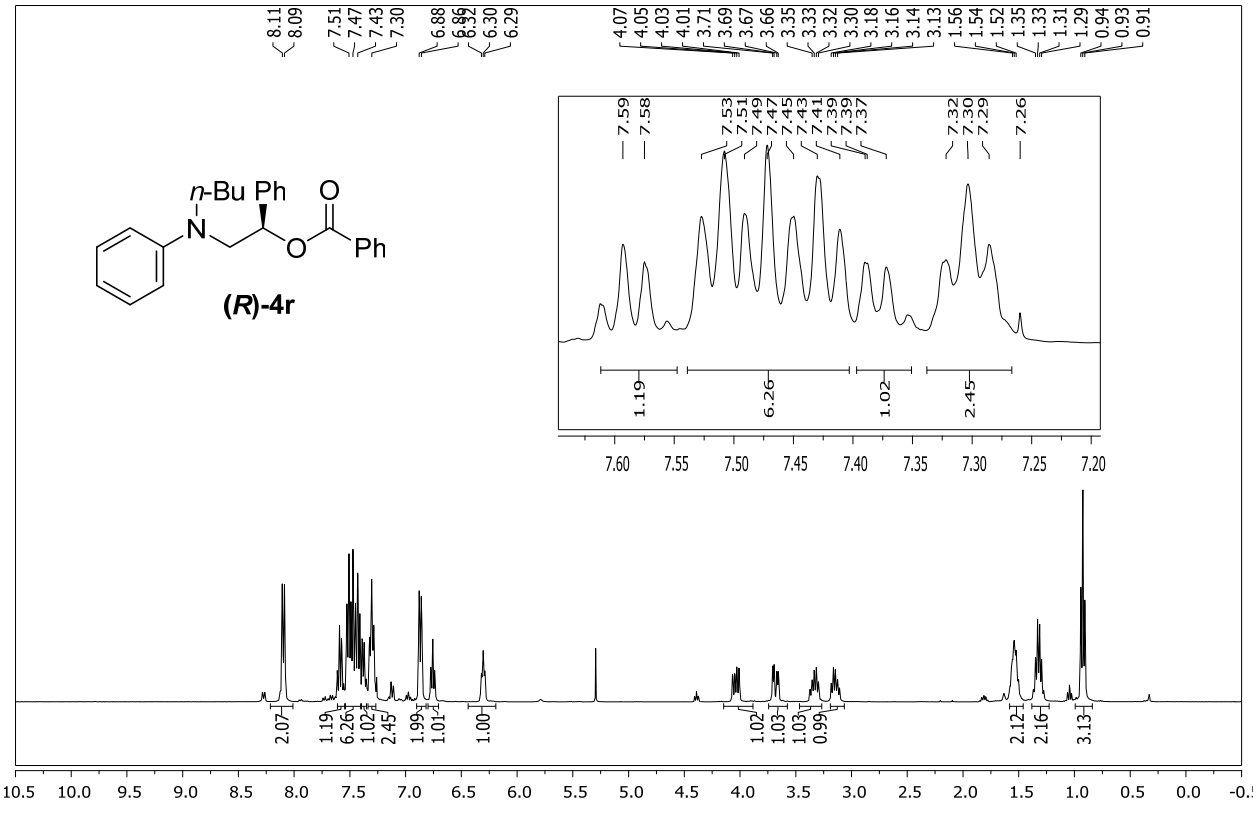


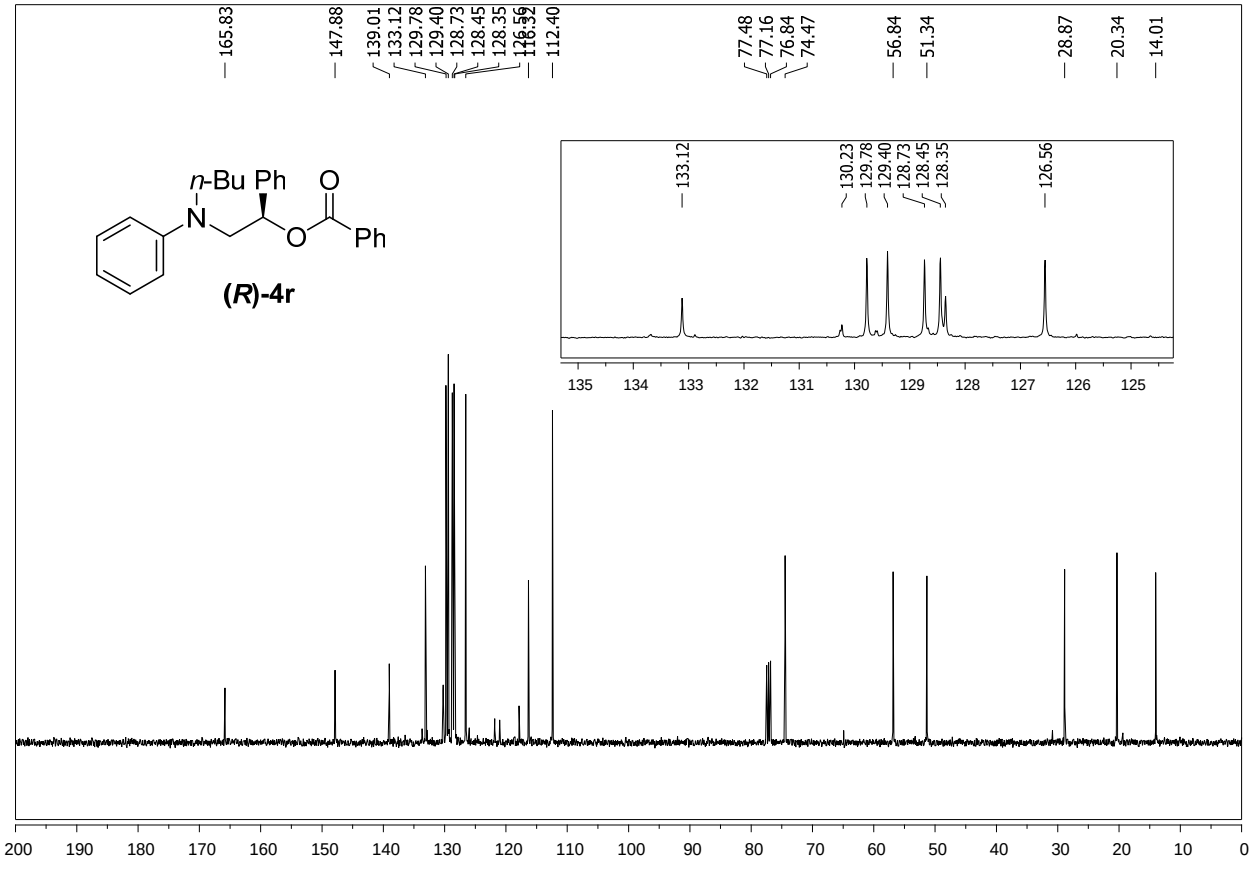
_N_-Benzyl-_N_-(3-phenoxy-3-phenylpropyl)aniline (8f)





(*R*)-2-(Butyl(phenyl)amino)-1-phenylethyl benzoate ((*R*)-4r)

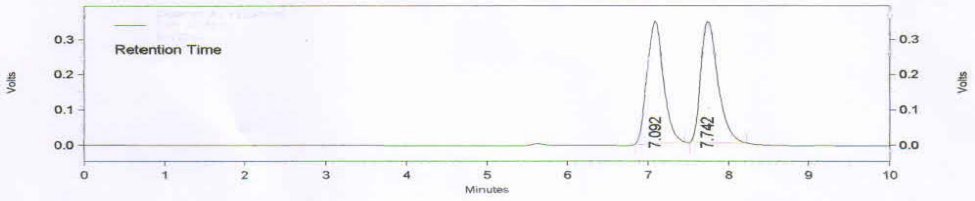




9. HPLC Data of (*R*)-4r

(*R*)-2-(Butyl(phenyl)amino)-1-phenylethyl benzoate (*R*-4r)

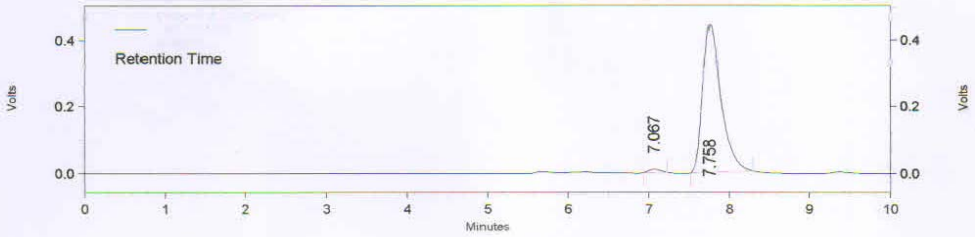
Method Name: C:\CLASS-VP\Method ch 2.met
Data Name: C:\CLASS-VP\Data\Dr.Biju\Svr2213
User: System
Acquired: 3/18/15 11:02:06 AM
Printed: 3/18/15 11:13:02 AM
Sample Name TNY TD 53 R

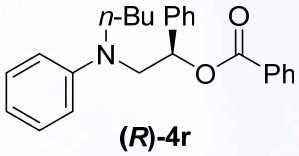


Detector A - 1 (254nm)

Retention Time	C Area	Area %
7.092	2468571	50.376
7.742	2431690	49.624
Totals	4900261	100.000

Method Name: C:\CLASS-VP\Method ch 2.met
Data Name: C:\CLASS-VP\Data\Dr.Biju\Svr2215
User: System
Acquired: 3/18/15 11:27:58 AM
Printed: 3/18/15 11:39:22 AM
Sample Name TNY TD 53 C





(*R*)-4r

Detector A - 1 (254nm)

Retention Time	C Area	Area %
7.067	46050	1.353
7.758	3357555	98.647
Totals	3403605	100.000

Project Leader :Dr.A. T. Biju
Column :Kromasil 5-AmyCoat (250mm x 4.6mm)
Mobile Phase :IPA : Petether (20:80)
Wavelength : 254 nm
Flow Rate : 0.7ml/min
Conc. : 1mg/1ml
Inj vol- : 2ul