Electronic Supplementary Material (ESI) for Chemical Science.

Supporting Information

Deaminative *meta*-C–H Alkylation by Ruthenium(II) Catalysis

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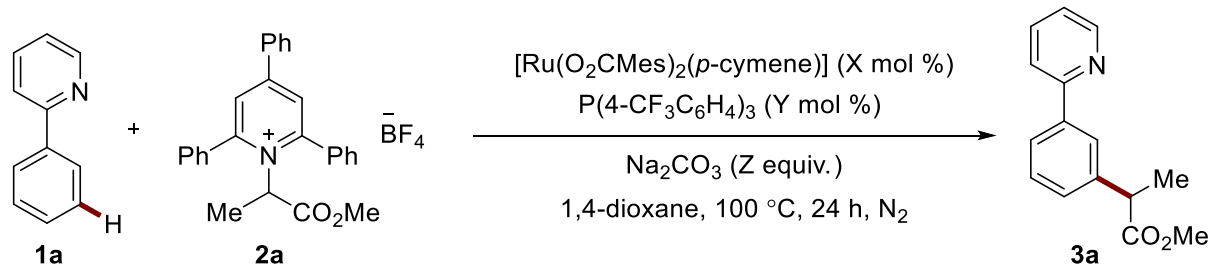
General Remarks

Catalytic reactions were performed under a N_2 atmosphere using pre-dried glassware and standard Schlenk techniques. 1,4-Dioxane was dried over Na and freshly distilled under N_2. Katritzky pyridinium salts were synthesized according to previously described methods[1] Other chemicals were obtained from commercial sources and used without further purification. Yields refer to isolated compounds, estimated to be >95% pure as determined by ^{1}H NMR. Flash chromatography: Merck silica gel 60 (40–63 µm). NMR: Spectra were recorded on Varian Mercury Vx 300, Varian VNMRS 300, Varian Inova 500, Varian Inova 600, Bruker Avance III 400, Bruker Avance III HD 400 and Bruker Avance III HD 500 instruments in the solvent indicated; chemical shifts (δ) are provided in ppm. IR spectra were recorded on a Bruker FT-IR alpha-P device. EI-MS was recorded on Joel AccuTof at 70 eV. ESI-MS was recorded on Bruker Daltonic micrOTOF. High resolution mass spectrometry (HR-MS) was recorded on micrOTOF, Bruker Daltonic. Melting points (m.p.) were measured on Stuart® melting point apparatus SMP3, Barloworld Scientific, values are uncorrected.

Optimization of the Reaction Conditions

Table S1. Optimization of the amount of catalyst, ligand and base.[a]

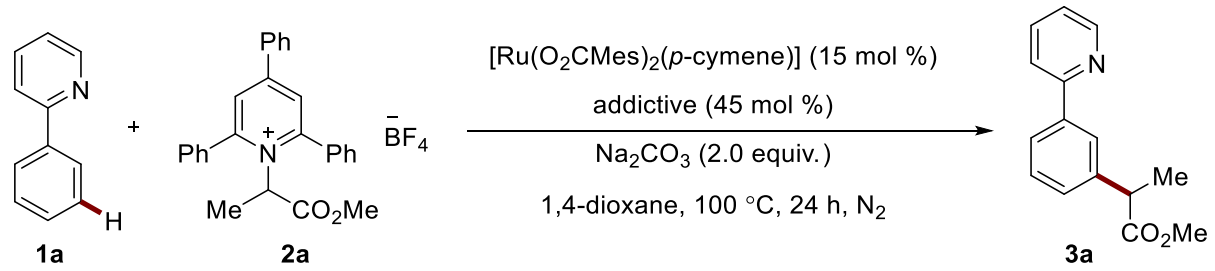


1a **2a** **3a**

Entry	X (mol %)	Y (mol %)	Z (equiv.)	Yield (%)
1	15	45	1	38[b]
2	15	--	1	trace
3	15	30	1	54[b]
4	15	45	2	55[b]
5	15	45	3	51
6	**15**	**45**	**2**	**63[c]**
7	15	60	2	61

[a] Reaction conditions: **1a** (31.0 mg, 0.2 mmol), **2a** (289 mg, 0.6 mmol), [$Ru(O_2CMes)_2$(*p*-cymene)] (X mol %), P(4-$CF_3C_6H_4)_3$ (Y mol %) and Na_2CO_3 (Z equiv.), 1,4-dioxane (2.0 mL) at 100 °C, 24 h, under N_2, yield of isolated product. [b] 1,4-dioxane (1.0 mL). [c] **2a** (192.5 mg, 0.4 mmol).

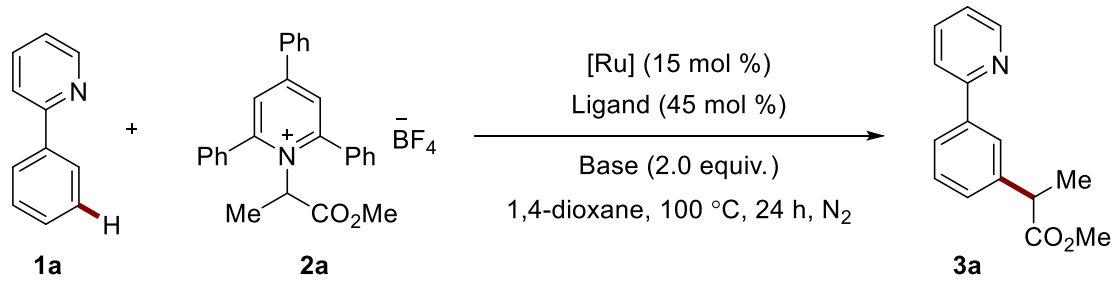
Table S2. Optimization of addictive.[a]



Entry	Addictive	Yield (%)
1	$NiCl_2$	23[b]
2	$Ni(PPh_3)_2Cl_2$	47[b]
3	PCy_3	7
4	$P(4\text{-}FC_6H_4)_3$	45
5	Ph_2PCl	13
6	$P\text{-}(4\text{-}MeOC_6H_4)_3$	24
7	TFP	trace
8	$TTBP{\cdot}HBF_4$	32
9	PPh_3	37
10	$P(n\text{-}Bu)_3$	30
11	$(PhO)_2P(O)H$	25
12	Piv-Val-OH	27

[a] Reaction conditions: **1a** (31.0 mg, 0.2 mmol), **2a** (193 mg, 0.4 mmol), $[Ru(O_2CMes)_2(p\text{-cymene})]$ (16.9 mg, 15 mol%), addictive (45 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol), 1,4-dioxane (2.0 mL) at 100 °C, 24 h, under N_2, yield of isolated product. [b] [Ni] (10 mol %).

Table S3. Optimization of catalyst, ligand and base.[a]

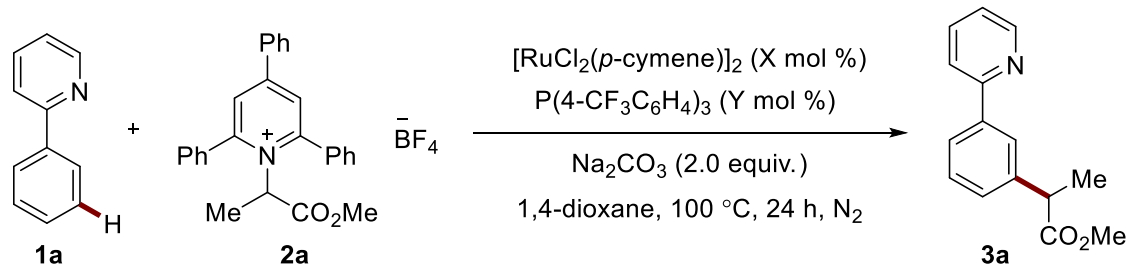


1a **2a** **3a**

Entry	[Ru]	Ligand	Base	Yield (%)
1	**$[RuCl_2(p\text{-cymene})]_2$**	**$P(4\text{-}CF_3C_6H_4)_3$**	**Na_2CO_3**	**79**
2	$[Ru(OAc)_2(p\text{-cymene})]$	$P(4\text{-}CF_3C_6H_4)_3$	Na_2CO_3	27
3	$[RuCl_2(p\text{-cymene})]_2$	$P(4\text{-}CF_3C_6H_4)_3$	KOAc	41
4	--	$P(4\text{-}CF_3C_6H_4)_3$	Na_2CO_3	trace
5	$[RuCl_2(p\text{-cymene})]_2$	$P(4\text{-}CF_3C_6H_4)_3$	--	trace
6	$[RuCl_2(p\text{-cymene})]_2$	$MesCO_2H$	Na_2CO_3	trace
7	$[RuCl_2(p\text{-cymene})]_2$	Piv-Ile-OH	Na_2CO_3	15
8	$[RuCl_2(p\text{-cymene})]_2$	Boc-Ala-OH	Na_2CO_3	17

[a] Reaction conditions: **1a** (31.0 mg, 0.2 mmol), **2a** (193 mg, 0.4 mmol), [Ru] (15 mol %), ligand (45 mol %), base (2.0 equiv.), 1,4-dioxane (2.0 mL) at 100 °C, 24 h, under N_2, yield of isolated product.

Table S4. Minor adjustment of the amount of catalyst and ligand.[a]



Entry	X (mol %)	Y (mol %)	Yield (%)
1	10	30	75
2	10	20	75
3	7.5	30	84
4	7.5	15	81
5	5	20	76
6	**2.5**	**10**	**77**
7	1	4	49

[a] Reaction conditions: **1a** (31.0 mg, 0.2 mmol), **2a** (193 mg, 0.4 mmol), $[RuCl_2(p\text{-cymene})]_2$ (X mol %), $P(4\text{-}CF_3C_6H_4)_3$ (Y mol %), Na_2CO_3 (42.4 mg, 0.4 mmol),1,4-dioxane (2.0 mL) at 100 °C, 24 h, under N_2, yield of isolated product.

General Procedures

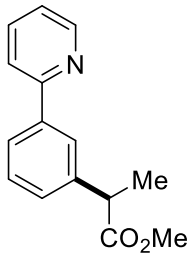
General Procedure A: Ruthenium-catalyzed *meta*-C–H secondary alkylation.

Heteroarene **1** (0.2 mmol), Katritzky salt **2** (0.4 mmol), $[RuCl_2(p\text{-cymene})]_2$ (3.1 mg, 2.5 mol %), $P(4\text{-}CF_3C_6H_4)_3$ (9.3 mg, 10 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then,1,4-dioxane (2.0 mL) was added. The tube was sealed and stirred at 100 °C for 24 h. After cooling to ambient temperature, the resulting reaction mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*. Purification of the residue by column chromatography on silica gel yielded the product **3** and **4**.

General procedure B: Ruthenium-catalyzed *meta*-C–H benzylation.

2-Phenylpyridine **1a** (31.0 mg, 0.2 mmol), Katritzky salts **5** (0.4 mmol), $[RuCl_2(p\text{-cymene})]_2$ (6.2 mg, 5 mol %), $P(4\text{-}CF_3C_6H_4)_3$ (18.7 mg, 20 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then 1,4-dioxane (2.0 mL) was added. The tube was sealed and heated at 100 °C for 24 h. After cooling to ambient temperature, the resulting reaction mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*. Purification of the residue by column chromatography on silica gel yielded the product **6**.

Characterization Data of Products



Methyl 2-[3-(pyridin-2-yl)phenyl]propanoate (3a)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3a** (37 mg, 77%), as a colorless liquid.

^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.8 Hz, 1H), 7.94 (s, 1H), 7.87 (d, *J* = 7.6 Hz, 1H), 7.79–7.69 (m, 2H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.36 (dt, *J* = 7.6, 1.5 Hz, 1H), 7.26–7.20 (m, 1H), 3.83 (q, *J* = 7.2 Hz, 1H), 3.67 (s, 3H), 1.56 (d, *J* = 7.2 Hz, 3H).

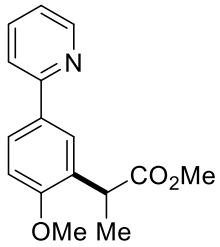
^{13}C NMR (101 MHz, $CDCl_3$): δ = 175.0 (C_q), 157.2 (C_q), 149.7 (CH), 141.1 (C_q), 139.8 (C_q), 136.7 (CH), 129.1 (CH), 128.0 (CH), 126.3 (CH), 125.8 (CH), 122.2 (CH), 120.7 (CH), 52.1 (CH_3), 45.5 (CH), 18.7 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2978, 2957, 1733, 1584, 1461, 1434, 1202, 1163, 769, 700 cm^{-1}.

MS (ESI) m/z (relative intensity): 242 (100) $[M+H]^+$, 264 (20) $[M+Na]^+$.

HR-MS (ESI): m/z calcd for $C_{15}H_{16}NO_2^+$ $[M+H]^+$: 242.1181, found: 242.1180.

The spectral data were in accordance with those reported in the literature[2].



Methyl 2-[2-methoxy-5-(pyridin-2-yl)phenyl]propanoate (3b)

The general procedure **A** was followed using 2-(4-methoxyphenyl)pyridine (**1b**) (37 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc =5:1) yielded **3b** (40 mg, 73%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.75–8.72 (m, 1H), 7.84 (dd, *J* = 7.9, 1.1 Hz, 1H), 7.75 (td, *J* = 7.6, 1.8 Hz, 1H), 7.61 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.35 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.29–7.24 (m, 1H),

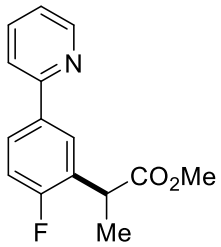
7.22 (t, *J* = 7.7 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 1H), 3.69 (s, 3H), 3.45 (s, 3H), 1.54 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 175.2 (C_q), 156.5 (C_q), 155.5 (C_q), 149.6 (CH), 136.1 (CH), 134.6 (C_q), 133.5 (C_q), 130.3 (CH), 128.5 (CH), 124.5 (CH), 124.4 (CH), 122.0 (CH), 61.5 (CH_3), 52.0 (CH_3), 38.6 (CH), 18.4 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2951, 1733, 1453, 1431, 1220, 1199, 1161, 1002, 776 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 272 (100) $[M+H]^+$, 294 (33) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{16}H_{18}NO_3^+$ $[M+H]^+$: 272.1287, found: 272.1284.



Methyl 2-[2-fluoro-5-(pyridin-2-yl)phenyl]propanoate (3c)

The general procedure **A** was followed using 2-(4-fluorophenyl)pyridine (**1c**) (35 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3c** (37 mg, 72%), as a colorless liquid.

^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.68 (ddd, *J* = 5.0, 1.8, 0.9 Hz, 1H), 7.95 (dd, *J* = 7.2, 2.3 Hz, 1H), 7.88 (ddd, *J* = 8.4, 5.0, 2.3 Hz, 1H), 7.80–7.73 (m, 1H), 7.69 (dd, *J* = 8.1, 1.0 Hz, 1H), 7.28–7.22 (m, 1H), 7.15 (dd, *J* = 9.7, 8.6 Hz, 1H), 4.07 (q, *J* = 7.2 Hz, 1H), 3.69 (d, *J* = 0.6 Hz, 3H), 1.58 (d, *J* = 7.2 Hz, 3H).

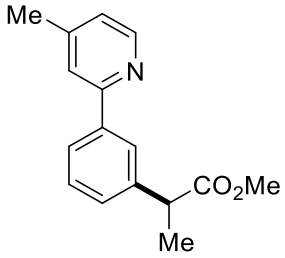
^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.1 (C_q), 161.2 (d, $^1J_{C-F}$ = 249.2 Hz, C_q), 156.0 (C_q), 149.2 (CH), 137.2 (CH), 135.3 (C_q), 128.2 (d, $^2J_{C-F}$ = 15.3 Hz, C_q), 127.7 (d, $^3J_{C-F}$ = 4.6 Hz, CH), 127.4 (d, $^3J_{C-F}$ = 8.8 Hz, CH), 122.2 (CH), 120.5 (CH), 115.9 (d, $^2J_{C-F}$ = 22.9 Hz, CH), 52.2 (CH_3), 38.7 (d, $^3J_{C-F}$ = 2.3 Hz, CH), 17.4 (CH_3).

^{19}F NMR (377 MHz, $CDCl_3$): δ = –117.6 (s).

IR (ATR): $\tilde{\nu}$ = 2952, 1737, 1588, 1502, 1466, 1433, 1202, 1176, 781, 744 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 260 (100) $[M+H]^+$, 282 (33) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{15}H_{14}FNaNO_2^+$ $[M+Na]^+$: 282.0901, found: 282.0901.



Methyl 2-[3-(4-methylpyridin-2-yl)phenyl]propanoate (3d)

The general procedure **A** was followed using 4-methyl-2-phenylpyridine (**1d**) (34 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3d** (33 mg, 65%), as a colorless liquid.

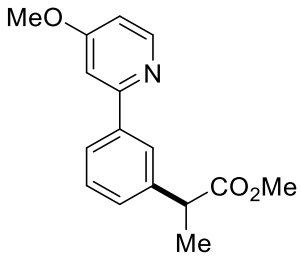
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.54 (d, *J* = 5.0 Hz, 1H), 7.91 (t, *J* = 1.8 Hz, 1H), 7.88–7.84 (m, 1H), 7.54 (s, 1H), 7.42 (t, *J* = 7.7 Hz, 1H), 7.35 (dt, *J* = 7.7, 1.5 Hz, 1H), 7.06 (d, *J* = 5.0 Hz, 1H), 3.83 (q, *J* = 7.2 Hz, 1H), 3.73–3.58 (m, 3H), 2.42 (s, 3H), 1.56 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 175.0 (C_q), 157.1 (C_q), 149.4 (CH), 147.7 (C_q), 142.0 (C_q), 139.9 (C_q), 129.0 (CH), 127.8 (CH), 126.3 (CH), 125.8 (CH), 123.2 (CH), 121.6 (CH), 52.0 (CH_3)., 45.5 (CH), 21.2 (CH_3), 18.7 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2951, 1732, 1600, 1453, 1432, 1194, 1161, 1062, 799, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 256 (100) $[M+H]^+$, 378 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{16}H_{18}NO_2^+$ $[M+H]^+$: 256.1338, found: 256.1336.



Methyl 2-[3-(4-methoxypyridin-2-yl)phenyl]propanoate (3e)

The general procedure **A** was followed using 4-methoxy-2-phenylpyridine (**1e**) (37 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3e** (43 mg, 80%), as a colorless liquid.

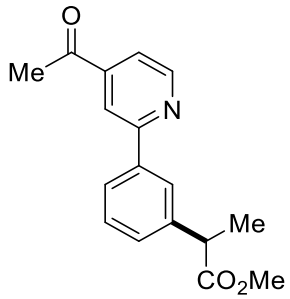
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.53 (d, *J* = 4.6 Hz, 1H), 7.90 (s, 1H), 7.83 (d, *J* = 7.6 Hz, 1H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.37 (d, *J* = 7.7 Hz, 1H), 7.22 (s, 1H), 6.80 (d, *J* = 4.6 Hz, 1H), 3.92 (s, 3H), 3.82 (q, *J* = 7.2 Hz, 1H), 3.66 (s, 3H), 1.55 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.9 (C_q), 166.7 (C_q), 158.7 (C_q), 150.5 (CH), 141.1 (C_q), 139.2 (C_q), 129.0 (CH), 128.2 (CH), 126.5 (CH), 125.9 (CH), 108.3 (CH), 107.2 (CH), 55.4 (CH_3), 52.1 (CH_3), 45.5 (CH), 18.6 (CH_3).

IR (ATR): $\tilde{\nu}$ = 3054, 1733, 1593, 1564, 1322, 1264, 1200, 1173, 731, 700 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 272 (100) $[M+H]^+$, 294 (10) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{16}H_{18}NO_3^+$ $[M+H]^+$: 272.1287, found: 272.1284.



Methyl 2-[3-(4-acetylpyridin-2-yl)phenyl]propanoate (3f)

The general procedure **A** was followed using 1-(2-phenylpyridin-4-yl)ethan-1-one (**1f**) (39 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3f** (39 mg, 70%), as a colorless liquid.

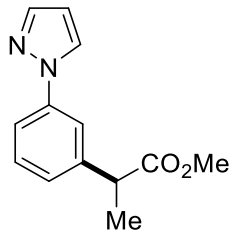
^{1}H NMR (400 MHz, $CDCl_3$): δ = 9.28–9.18 (m, 1H), 8.31–8.26 (m, 1H), 8.02 (s, 1H), 7.94 (d, *J* = 7.5 Hz, 1H), 7.84 (d, *J* = 7.8 Hz, 1H), 7.50–7.40 (m, 2H), 3.84 (q, *J* = 7.2 Hz, 1H), 3.68 (s, 3H), 2.67 (s, 3H), 1.57 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 196.4 (C_q), 174.8 (C_q), 160.7 (C_q), 150.1 (CH), 141.3 (C_q), 138.5 (C_q), 136.4 (CH), 130.6 (C_q), 129.3 (CH), 129.1 (CH), 126.7 (CH), 126.2 (CH), 120.3 (CH), 52.1 (CH_3), 45.5 (CH), 26.7 (CH_3), 18.7 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2952, 1731, 1684, 1588, 1373, 1277, 1202, 1164, 959, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 284 (30) $[M+H]^+$, 306 (100) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{17}H_{18}NO_3^+$ $[M+H]^+$: 284.1287, found: 284.1281.



Methyl 2-[3-(1*H*-pyrazol-1-yl)phenyl]propanoate (3g)

The general procedure **A** was followed using1-phenyl-1*H*-pyrazole (**1g**) (29 mg, 0.2 mmol) and

Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3g** (33 mg, 71%), as a colorless liquid.

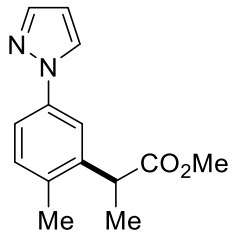
^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.93 (d, *J* = 2.5 Hz, 1H), 7.72 (d, *J* = 1.7 Hz, 1H), 7.67 (t, *J* = 2.0 Hz, 1H), 7.59–7.55 (m, 1H), 7.40 (t, *J* = 7.9 Hz, 1H), 7.23 (d, *J* = 7.7 Hz, 1H), 6.46 (t, *J* = 2.5 Hz, 1H), 3.80 (q, *J* = 7.2 Hz, 1H), 3.67 (s, 3H), 1.55 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.5 (C_q) , 142.0 (C_q), 141.1 (CH), 140.4 (C_q), 129.6 (CH), 126.8 (CH), 125.5 (CH), 118.6 (CH), 117.9 (CH), 107.6 (CH), 52.1 (CH_3), 45.3 (CH), 18.5 (CH_3).

IR (ATR): $\tilde{\nu}$ = 3002, 1711, 1438, 1419, 1357, 1219, 1091, 899, 735, 528 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 231 (20) $[M+H]^+$, 253 (100) $[M+Na]^+$.

HR-MS (ESI): m/z calcd for $C_{13}H_{14}N_2O_2Na^+$ $[M+Na]^+$: 253.0953, found: 253.0950.



Methyl 2-[2-methyl-5-(1*H*-pyrazol-1-yl)phenyl]propanoate (3h)

The general procedure **A** was followed using 1-(*p*-tolyl)-1*H*-pyrazole (**1h**) (32 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3h** (36 mg, 73%), as a colorless liquid.

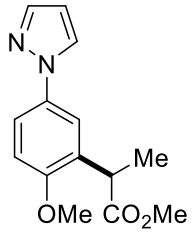
^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.89 (d, *J* = 1.9 Hz, 1H), 7.70 (d, *J* = 1.9 Hz, 1H), 7.59 (d, *J* = 2.2 Hz, 1H), 7.48 (d, *J* = 8.4 Hz, 1H), 7.24 (d, *J* = 8.4 Hz, 1H), 6.44 (t, *J* = 1.9 Hz, 1H), 3.99 (q, *J* = 7.2 Hz, 1H), 3.67 (s, 3H), 2.38 (s, 3H), 1.54 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.8 (C_q), 140.8 (CH), 140.3 (C_q), 138.8 (C_q), 134.1 (C_q), 131.4 (CH), 126.8 (CH), 117.9 (CH), 117.7 (CH), 107.3 (CH), 52.1 (CH_3), 41.5 (CH), 19.2 (CH_3), 17.9 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2952, 1737, 1520, 1393, 1322, 1199, 1167, 1131, 1061, 749 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 245 (100) $[M+H]^+$, 267 (70) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{14}H_{17}N_2O_2^+$ $[M+H]^+$: 245.1290, found: 245.1285.



Methyl 2-[2-methoxy-5-(1*H*-pyrazol-1-yl)phenyl]propanoate (3i)

The general procedure **A** was followed using 1-(4-methoxyphenyl)-1*H*-pyrazole (**1i**) (35 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3i** (40 mg, 76%), as a colorless liquid.

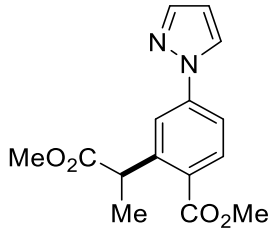
^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.83 (d, *J* = 1.6 Hz, 1H), 7.69 (d, *J* = 1.6 Hz, 1H), 7.57–7.48 (m, 2H), 6.93 (d, *J* = 8.6 Hz, 1H), 6.44 – 6.42 (m, 1H), 4.08 (q, *J* = 7.2 Hz, 1H), 3.86 (s, 3H), 3.67 (s, 3H), 1.51 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 175.0 (C_q), 155.4 (C_q), 140.6 (CH), 134.0 (C_q), 130.5 (C_q), 126.9 (CH), 120.0 (CH), 119.3 (CH), 111.2 (CH), 107.1 (CH), 55.9 (CH_3), 52.0 (CH_3), 39.3 (CH), 17.2 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2951, 1728, 1518, 1499, 1239, 1163, 1044, 947, 811, 747 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 261 (100) $[M+H]^+$, 283 (70) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{14}H_{17}N_2O_3^+$ $[M+H]^+$: 261.1239, found: 261.1237.



Methyl 2-(1-methoxy-1-oxopropan-2-yl)-4-(1*H*-pyrazol-1-yl)benzoate (3j)

The general procedure **A** was followed using methyl 4-(1*H*-pyrazol-1-yl)benzoate (**1j**) (40 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3j** (30 mg, 52%), as a colorless liquid.

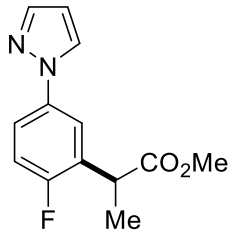
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.05 (d, *J* = 8.6 Hz, 1H), 7.99 (d, *J* = 2.5 Hz, 1H), 7.75 (dd, J = 3.2, 2.1 Hz, 2H), 7.65 (dd, *J* = 8.6, 2.3 Hz, 1H), 6.50 (dd, *J* = 2.5, 1.8 Hz, 1H), 4.74 (q, *J* = 7.2 Hz, 1H), 3.90 (s, 3H), 3.67 (s, 3H), 1.60 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.6 (C_q), 167.0 (C_q), 144.2 (C_q), 142.7 (C_q), 141.9 (CH), 132.6 (CH), 126.9 (CH), 126.6 (C_q), 118.7 (CH), 116.7 (CH), 108.4 (CH), 52.1 (CH_3) , 52.1 (CH_3), 42.2 (CH), 18.2 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2963, 2160, 1977, 1698, 1558, 1542, 1507, 1264, 744, 701 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 289 (100) $[M+H]^+$, 311 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{15}H_{17}N_2O_4^+$ $[M+H]^+$: 289.1188, found: 289.1183.



Methyl 2-[2-fluoro-5-(1*H*-pyrazol-1-yl)phenyl]propanoate (3k)

The general procedure **A** was followed using 1-(4-fluorophenyl)-1*H*-pyrazole (**1k**) (32 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3k** (40 mg, 80%), as a colorless liquid.

^{1}H NMR (300 MHz, $CDCl_3$): δ = 7.86 (d, *J* = 2.5 Hz, 1H), 7.71 (d, *J* = 2.0 Hz, 1H), 7.64 (dd, *J* = 6.3, 2.8 Hz, 1H), 7.54 (ddd, *J* = 8.8, 4.4, 2.8 Hz, 1H), 7.13 (t, *J* = 9.0 Hz, 1H), 6.46 (t, *J* = 2.5, 2.0 Hz, 1H), 4.06 (q, *J* = 7.3 Hz, 1H), 3.70 (s, 3H), 1.56 (d, *J* = 7.2 Hz, 3H).

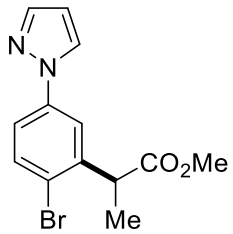
^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.8 (C_q), 158.7 (d, $^1J_{C-F}$ = 246.2 Hz, C_q), 141.1 (CH), 136.7 (d, $^4J_{C-F}$ = 3.0 Hz, C_q), 128.9 (d, $^2J_{C-F}$ = 16.6 Hz, C_q), 126.9 (CH), 120.0 (d, $^3J_{C-F}$ = 4.3 Hz, CH), 119.6 (d, $^3J_{C-F}$ = 8.6 Hz, CH), 116.3 (d, $^2J_{C-F}$ = 24.3 Hz, CH), 107.7 (CH), 52.3 (CH_3), 38.5 (d, $^3J_{C-F}$ = 2.5 Hz, CH), 17.4 (CH_3).

^{19}F NMR (377 MHz, $CDCl_3$): δ = –120.8 (s).

IR (ATR): $\tilde{\nu}$ = 2989, 2955, 1736, 1521, 1500, 1394, 1226, 1198, 823, 754 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 249 (100) $[M+H]^+$, 271 (25) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{13}H_{14}FN_2O_2^+$ $[M+H]^+$: 249.1039, found: 249.1037.



Methyl 2-[2-bromo-5-(1*H*-pyrazol-1-yl)phenyl]propanoate (3l)

The general procedure **A** was followed using 1-(4-bromophenyl)-1*H*-pyrazole (**1l**) (44 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3l** (43 mg, 69%), as a colorless liquid.

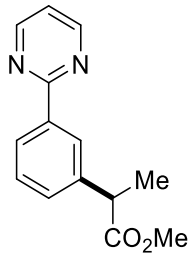
^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.90 (d, *J* = 1.9 Hz, 1H), 7.72 (d, *J* = 1.3 Hz, 1H), 7.68 (d, *J* = 2.5 Hz, 1H), 7.65–7.61 (m, 1H), 7.52–7.43 (m, 1H), 6.50–6.44 (m, 1H), 4.25 (q, *J* = 7.2 Hz, 1H), 3.70 (s, 3H), 1.56 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.9 (C_q), 141.4 (CH), 141.3 (C_q), 139.8 (C_q), 133.7 (CH), 126.7 (CH), 121.4 (C_q), 119.1 (CH), 119.1 (CH), 108.0 (CH), 52.3 (CH_3), 44.7 (CH), 17.8 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2950, 2925, 1736, 1520, 1478, 1394, 1200, 1169, 944, 747 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 309 (100) $[M+H]^+$, 331 (33) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{13}H_{14}BrN_2O_2^+$ $[M+H]^+$: 309.0233, found: 309.0233.



Methyl 2-[3-(pyrimidin-2-yl)phenyl]propanoate (3m)

The general procedure **A** was followed using 2-phenylpyrimidine (**1m**) (31 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3m** (34 mg, 70%), as a colorless liquid.

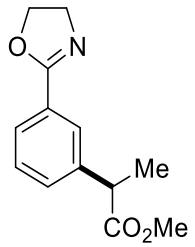
^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.80 (d, *J* = 4.8 Hz, 2H), 8.39 (s, 1H), 8.36–8.32 (m, 1H), 7.45 (m, 2H), 7.19 (t, *J* = 4.8 Hz, 1H), 3.85 (q, *J* = 7.2 Hz, 1H), 3.67 (s, 3H), 1.57 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.9 (C_q), 164.5 (C_q), 157.2 (CH), 141.0 (C_q), 137.9 (C_q), 129.8 (CH), 129.0 (CH), 127.5 (CH), 127.0 (CH), 119.1 (CH), 52.1 (CH_3), 45.5 (CH), 18.6 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2951, 1733, 1568, 1555, 1411, 1322, 1203, 1172, 1061, 771 cm^{-1}.

MS (ESI) m/z (relative intensity): 243 (100) $[M+H]^+$, 265 (20) $[M+Na]^+$.

HR-MS (ESI): m/z calcd for $C_{14}H_{15}N_2O_2^+$ $[M+H]^+$: 243.1134, found: 243.1129.



Methyl 2-(3-(4,5-dihydrooxazol-2-yl)phenyl)propanoate (3n)

The general procedure **A** was followed using 2-phenylpyrimidine (**1n**) (29 mg, 0.2 mmol) and

Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3n** (35 mg, 76%), as a colorless liquid.

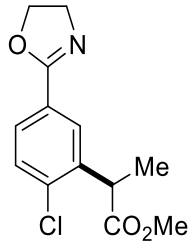
^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.88 (s, 1H), 7.84–7.69 (m, 1H), 7.44–7.32 (m, 2H), 4.42 (t, *J* = 9.5 Hz, 2H), 4.04 (t, *J* = 9.5 Hz, 2H), 3.75 (q, *J* = 7.2 Hz, 1H), 3.64 (s, 3H), 1.51 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.5 (C_q), 164.4 (C_q), 140.7 (C_q), 130.3 (CH), 128.6 (CH), 128.0 (C_q), 127.4 (CH), 127.0 (CH), 67.6 (CH_2), 54.9 (CH_2), 52.0 (CH_3), 45.2 (CH), 18.4 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2934, 1733, 1649, 1555, 1359, 1264, 1063, 979, 806, 710 cm^{-1}.

MS (ESI) m/z (relative intensity): 234 (100) $[M+H]^+$.

HR-MS (ESI): m/z calcd for $C_{13}H_{16}NO_3^+$ $[M+H]^+$: 234.1130, found: 234.1125.



Methyl 2-(2-chloro-5-(4,5-dihydrooxazol-2-yl)phenyl)propanoate (3o)

The general procedure **A** was followed using 2-phenylpyrimidine (**1o**) (36 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3o** (44 mg, 82%), as a colorless liquid.

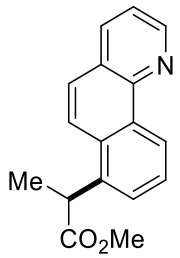
^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.90 (s, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 4.42 (t, *J* = 9.5 Hz, 2H), 4.20 (q, *J* = 7.2 Hz, 1H), 4.05 (t, *J* = 9.5 Hz, 2H), 3.67 (s, 3H), 1.53 (d, *J* = 7.2 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.9 (C_q), 163.7 (C_q), 138.5 (C_q), 136.9 (C_q), 129.7 (CH), 128.3 (CH), 128.0 (CH), 126.8 (C_q), 67.8 (CH_2), 55.0 (CH_2), 52.2 (CH_3), 42.1 (CH), 17.3 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2951, 1737, 1651, 1360, 1198, 1168, 1074, 1039, 979, 947 cm^{-1}.

MS (ESI) m/z (relative intensity): 268 (100) $[M+H]^+$.

HR-MS (ESI): m/z calcd for $C_{13}H_{15}ClNO_3^+$ $[M+H]^+$: 268.0740, found: 268.0735.



Methyl 2-(benzo[*h*]quinolin-7-yl)propanoate (3p)

The general procedure **A** was followed using benzoquinoline (**1p**) (36 mg, 0.2 mmol) and Katritzky salt **2a** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **3p** (48 mg, 90%), as a colorless liquid.

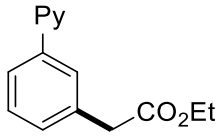
^{1}H NMR (400 MHz, $CDCl_3$): δ = 9.33 (d, *J* = 7.9, 1.0 Hz, 1H), 9.01 (dd, *J* = 4.4, 1.7 Hz, 1H), 8.19 (dd, *J* = 8.0, 1.8 Hz, 1H), 8.10 (d, *J* = 9.3 Hz, 1H), 7.79–7.66 (m, 3H), 7.54 (dd, *J* = 8.0, 4.4 Hz, 1H), 4.58 (q, *J* = 7.1 Hz, 1H), 3.67 (s, 3H), 1.71 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 175.3 (C_q), 149.0 (CH), 146.8 (C_q), 136.9 (C_q), 135.7 (CH), 132.1 (C_q), 131.3 ($2C_q$), 126.9 (CH), 126.9 (CH), 125.7 (CH), 123.9 (CH), 122.7 (CH), 121.9 (CH), 52.2 (CH_3), 41.6 (CH), 18.4 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2983, 2950, 1736, 1592, 1453, 1430, 1200, 1078, 831, 769 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 266 (100) $[M+H]^+$, 288 (10) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{17}H_{16}NO_2^+$ $[M+H]^+$: 266.1181, found: 266.1179.



Ethyl 2-[3-(18yridine-2-yl)phenyl]acetate (4a)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2b** (192 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4a** (23 mg, 47%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (dt, *J* = 4.8, 1.4 Hz, 1H), 7.98–7.91 (m, 1H), 7.88 (dt, *J* = 7.6, 1.5 Hz, 1H), 7.81–7.68 (m, 2H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.36 (dt, *J* = 7.6, 1.4 Hz, 1H), 7.23 (td, *J* = 5.8, 4.8, 2.7 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 3.71 (s, 2H), 1.26 (t, *J* = 7.1 Hz, 3H).

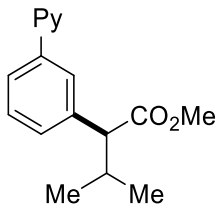
^{13}C NMR (101 MHz, $CDCl_3$): δ = 171.5 (C_q), 157.2 (C_q), 149.6 (CH), 139.7 (C_q), 136.7 (CH), 134.7 (C_q), 129.9 (CH), 128.9 (CH), 127.9 (CH), 125.6 (CH), 122.2 (CH), 120.7 (CH), 60.9 (CH_2), 41.4 (CH_2), 14.2 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2984, 1730, 1585, 1462, 1264, 1153, 1030, 734, 700 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 242 (100) $[M+H]^+$, 264 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{15}H_{16}NO_2^+$ $[M+H]^+$: 242.1181, found: 242.1177.

The spectral data were in accordance with those reported in the literature[2].



Methyl 3-methyl-2-[3-(19yridine-2-yl)phenyl]butanoate (4b)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2c** (203 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4b** (33 mg, 62%), as a colorless liquid.

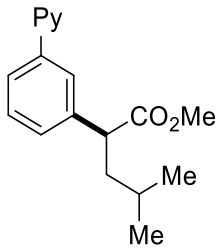
^{1}H NMR (300 MHz, $CDCl_3$): δ = 8.69 (dt, *J* = 4.8, 1.4 Hz, 1H), 7.95 (q, *J* = 1.4 Hz, 1H), 7.89 (ddd, *J* = 5.5, 3.3, 1.8 Hz, 1H), 7.75–7.71 (m, 2H), 7.44–7.40 (m, 2H), 7.22 (td, *J* = 5.0, 3.4 Hz, 1H), 3.66 (s, 3H), 3.27 (d, *J* = 10.6 Hz, 1H), 2.41 (dp, *J* = 10.6, 6.6 Hz, 1H), 1.06 (d, *J* = 6.6 Hz, 3H), 0.75 (d, *J* = 6.6 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.4 (C_q), 157.2 (C_q), 149.6 (CH), 139.6 (C_q), 138.9 (C_q), 136.7 (CH), 128.9 (CH), 128.9 (CH), 127.2 (CH), 125.8 (CH), 122.1 (CH), 120.7 (CH), 60.0 (CH), 51.7 (CH_3), 32.0 (CH), 21.5 (CH_3), 20.3 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2960, 1729, 1584, 1461, 1433, 1196, 1150, 770, 744, 698 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 270 (100) $[M+H]^+$, 292 (20) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{17}H_{20}NO_2^+$ $[M+H]^+$: 270.1494, found: 270.1489.



Methyl 4-methyl-2-[3-(19yridine-2-yl)phenyl]pentanoate (4c)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2d** (209 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4c** (37 mg, 65%), as a colorless liquid.

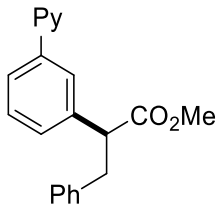
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (dt, *J* = 4.9, 1.2 Hz, 1H), 7.94 (s, 1H), 7.87 (dt, *J* = 7.3, 1.7 Hz, 1H), 7.76–7.70 (m, 2H), 7.47–7.35 (m, 2H), 7.22 (td, *J* = 6.1, 4.9, 2.4 Hz, 1H), 3.77 (t, *J* = 7.8 Hz, 1H), 3.65 (s, 3H), 2.04 (dt, *J* = 13.8, 7.8, 7.1 Hz, 1H), 1.72 (dt, *J* = 13.8, 7.1 Hz, 1H), 1.51 (dp, *J* = 13.4, 6.6 Hz, 1H), 0.92 (dd, *J* = 6.6, 2.4 Hz, 6H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.6 (C_q), 157.2 (C_q), 149.6 (CH), 139.9 (C_q), 139.7 (C_q), 136.7 (CH), 129.0 (CH), 128.4 (CH), 126.7 (CH), 125.8 (CH), 122.1 (CH), 120.7 (CH), 51.9 (CH_3), 49.6 (CH), 42.6 (CH_2), 25.9 (CH), 22.5 (CH_3), 22.3 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2950, 1730, 1600, 1457, 1432, 1194, 1161, 799, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 284 (100) $[M+H]^+$, 306 (50) $[M+Na]^+$.

HR-MS (ESI): m/z calcd for $C_{18}H_{22}NO_2^+$ $[M+H]^+$: 284.1651, found: 284.1649.



Methyl 3-phenyl-2-[3-(20yridine-2-yl)phenyl]propanoate (4d)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2e** (222 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4d** (37 mg, 58%), as a colorless liquid.

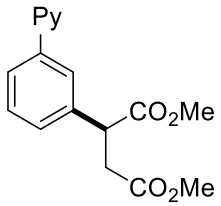
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (d, *J* = 4.7 Hz, 1H), 7.96 (s, 1H), 7.90 (d, *J* = 7.6 Hz, 1H), 7.75 (dd, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 7.3 Hz, 1H), 7.43 (dd, *J* = 7.6 Hz, 1H), 7.41–7.38 (m, 1H), 7.29–7.21 (m, 3H), 7.21–7.15 (m, 3H), 3.98 (dd, *J* = 9.1, 6.3 Hz, 1H), 3.61 (s, 3H), 3.49 (dd, *J* = 13.7, 9.1 Hz, 1H), 3.10 (dd, *J* = 13.7, 6.3 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.7 (C_q), 157.1 (C_q), 149.6 (CH), 139.8 (C_q), 139.2 (C_q), 139.0 (C_q), 136.7 (CH), 129.0 (CH), 128.9 (2CH), 128.4 (CH), 128.3 (2CH), 126.6 (CH), 126.4 (CH), 126.0 (CH), 122.2 (CH), 120.7 (CH), 53.6 (CH), 52.0 (CH_3), 39.8 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2950, 1734, 1584, 1461, 1434, 1210, 1162, 769, 743, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 318 (100) $[M+H]^+$, 340 (20) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{21}H_{20}NO_2^+$ $[M+H]^+$: 318.1494, found: 318.1489.



Dimethyl 2-[3-(pyridin-2-yl)phenyl]succinate (4e)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2f** (215 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4e** (46 mg, 77%), as a colorless liquid.

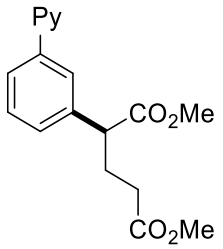
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71–8.65 (m, 1H), 7.93–7.87 (m, 2H), 7.78–7.69 (m, 2H), 7.43 (ddd, *J* = 7.8, 1.8 Hz, 1H), 7.33 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.24 (ddd, *J* = 7.3, 4.9, 1.5 Hz, 1H), 4.19 (ddd, *J* = 10.2, 5.2, 1.7 Hz, 1H), 3.68 (d, *J* = 3.1 Hz, 6H), 3.28 (ddd, *J* = 16.9, 10.2, 1.7 Hz, 1H), 2.73 (ddd, *J* = 17.0, 5.2, 1.7 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.4 (C_q), 172.0 (C_q), 156.9 (C_q), 149.6 (CH), 140.0 (C_q), 138.2 (C_q), 136.9 (CH), 129.3 (CH), 128.3 (CH), 126.5 (CH), 126.3 (CH), 122.4 (CH), 120.7 (CH), 52.4 (CH_3), 51.9 (CH_3), 47.2 (CH), 37.6 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2951, 1734, 1584, 1462, 1435, 1226, 1199, 1161, 1007, 772 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 300 (100) $[M+H]^+$, 322 (50) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{17}H_{18}NO_4^+$ $[M+H]^+$: 300.1236, found: 300.1233.



Dimethyl 2-[3-(21yridine-2-yl)phenyl]pentanedioate (4f)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2g** (221 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4f** (43 mg, 69%), as a colorless liquid.

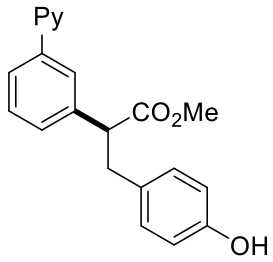
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71–8.67 (m, 1H), 7.92–7.87 (m, 2H), 7.80–7.70 (m, 2H), 7.44 (dd, *J* = 7.6 Hz, 1H), 7.35 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.24 (ddd, *J* = 8.1, 4.1, 1.7 Hz, 1H), 3.73 (t, *J* = 7.7 Hz, 1H), 3.67 (s, 3H), 3.64 (s, 3H), 2.49–2.37 (m, 1H), 2.31 (dt, *J* = 6.8, 5.8, 1.2 Hz, 2H), 2.25–2.14 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.8 (C_q), 173.3 (C_q), 157.0(C_q), 149.6 (CH), 139.8 (C_q), 138.8 (C_q), 136.9 (CH), 129.2 (CH), 128.4 (CH), 126.8 (CH), 126.2 (CH), 122.3 (CH), 120.8 (CH), 52.2 (CH_3), 51.6 (CH_3), 50.5 (CH), 31.7 (CH_2), 28.4 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2951, 1729, 1584, 1462, 1435, 1195, 1152, 769, 745, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 314 (100) $[M+H]^+$, 336 (60) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{18}H_{20}NO_4^+$ $[M+H]^+$: 314.1392, found: 314.1387.



Methyl 3-(4-hydroxyphenyl)-2-[3-(21yridine-2-yl)phenyl]propanoate (4g)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2h** (229 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4g** (47 mg, 71%), as a colorless liquid.

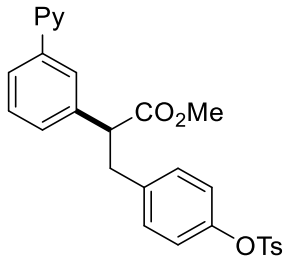
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.8 Hz, 1H), 7.92 (d, *J* = 1.7 Hz, 1H), 7.86–7.81 (m, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.44–7.33 (m, 2H), 7.31–7.27 (m, 1H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.64 (d, *J* = 8.0 Hz, 2H), 3.88 (dd, *J* = 8.9, 6.6 Hz, 1H), 3.59 (s, 3H), 3.34 (dd, *J* = 13.8, 8.9 Hz, 1H), 2.97 (dd, *J* = 13.8, 6.6 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.0 (C_q), 157.2 (C_q), 154.8 (C_q), 149.2 (CH), 139.3 (C_q), 139.2 (C_q), 137.3 (CH), 130.4 (C_q), 130.0 (CH), 129.1 (CH), 128.7 (CH), 126.9 (CH), 126.2 (CH), 122.4 (CH), 121.3 (CH), 115.3 (CH), 53.9 (CH), 52.1 (CH_3), 38.9 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2951, 1734, 1613, 1593, 1515, 1435, 1241, 1154, 764, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 334 (100) $[M+H]^+$, 356 (5) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{21}H_{20}NO_3^+$ $[M+H]^+$: 334.1443, found: 334.1440.



Methyl 2-[3-(22yridine-2-yl)phenyl]-3-[4-(tosyloxy)phenyl]propanoate (4h)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2i** (290 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4h** (81 mg, 83%), as a colorless liquid.

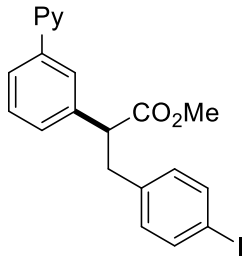
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (ddd, *J* = 4.8, 1.5, 1.0 Hz, 1H), 7.92–7.85 (m, 2H), 7.75 (ddd, *J* = 8.1, 7.5, 1.8 Hz, 1H), 7.71–7.67 (m, 1H), 7.63 (d, *J* = 8.3 Hz, 2H), 7.41 (ddd, *J* = 7.5, 0.6 Hz, 1H), 7.32 (ddd, *J* = 7.5, 1.5 Hz, 1H), 7.26–7.21 (m, 3H), 7.04 (d, *J* = 8.6 Hz, 2H), 6.83 (d, *J* = 8.6 Hz, 2H), 3.88 (dd, *J* = 8.7, 6.8 Hz, 1H), 3.59 (s, 3H), 3.42 (dd, *J* = 13.8, 8.7 Hz, 1H), 3.04 (dd, *J* = 13.8, 6.8 Hz, 1H), 2.42 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.4 (C_q), 156.9 (C_q), 149.6 (CH), 148.1 (C_q), 145.2 (C_q), 139.8 (C_q), 138.7 (C_q), 138.0 (C_q), 136.8 (CH), 132.3 (C_q), 130.1 (CH), 129.6 (CH), 129.1 (CH), 128.4 (CH), 128.3 (CH), 126.6 (CH), 126.1 (CH), 122.3 (CH), 122.2 (CH), 120.6 (CH), 53.4 (CH), 52.0 (CH_3), 39.1 (CH_2), 21.7 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2950, 1733, 1502, 1371, 1198, 1176, 1092, 866, 770, 553 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 488 (100) $[M+H]^+$, 510 (60) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{28}H_{26}NO_5S^+$ [M+H]$^+$: 488.1532, found: 488.1529.



Methyl 3-(4-iodophenyl)-2-[3-(23yridine-2-yl)phenyl]propanoate (4i)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2j** (273 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4i** (46 mg, 52%), as a colorless liquid.

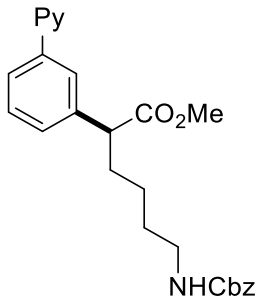
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (d, *J* = 4.8 Hz, 1H), 7.95–7.86 (m, 2H), 7.81–7.73 (m, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.56 (d, *J* = 8.3 Hz, 2H), 7.43 (dd, *J* = 7.6 Hz, 1H), 7.35 (ddd, *J* = 7.7, 1.5 Hz, 1H), 7.28–7.21 (m, 1H), 6.90 (d, *J* = 8.3 Hz, 2H), 3.91 (dd, *J* = 9.0, 6.5 Hz, 1H), 3.61 (s, 3H), 3.41 (dd, *J* = 13.8, 9.0 Hz, 1H), 3.03 (dd, *J* = 13.8, 6.5 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.5 (C_q), 157.0 (C_q), 149.6 (CH), 139.8 (C_q), 138.8 (C_q), 138.6 (C_q), 137.4 (CH), 136.9 (CH), 131.1 (CH), 129.2 (CH), 128.4 (CH), 126.6 (CH), 126.2 (CH), 122.3 (CH), 120.7 (CH), 91.8 (C_q), 53.4 (CH), 52.1 (CH_3), 39.3 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2949, 1730, 1584, 1433, 1209, 1152, 1006, 767, 741, 698 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 444 (100) [M+H]$^+$, 466 (10) [M+Na]$^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{21}H_{19}INO_2^+$ [M+H]$^+$: 444.0460, found: 444.0457.



Methyl 6-{[(benzyloxy)carbonyl]amino}-2-[3-(23yridine-2-yl)phenyl]hexanoate (4j)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2k** (269 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4j** (48 mg, 56%), as a colorless liquid.

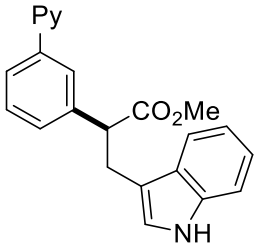
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71 (d, *J* = 4.7 Hz, 1H), 7.94 (s, 1H), 7.89 (d, *J* = 7.7 Hz, 1H), 7.80–7.71 (m, 2H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.40–7.31 (m, 6H), 7.27–7.22 (m, 1H), 5.09 (s, 2H), 4.87–4.80 (m, 1H), 3.68 (s, 3H), 3.66–3.62 (m, 1H), 3.18 (q, *J* = 6.8 Hz, 2H), 2.22–2.04 (m, 1H), 1.93–1.76 (m, 1H), 1.59–1.47 (m, 2H), 1.40–1.23 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.3 (C_q), 157.1 (C_q), 156.3 (C_q), 149.6 (CH), 139.8 (C_q), 139.5 (C_q), 136.7 (CH), 136.6 (C_q), 129.1 (CH), 128.5 (CH), 128.3 (CH), 128.0 (CH), 128.0 (CH), 126.7 (CH), 125.9 (CH), 122.2 (CH), 120.7 (CH), 66.5 (CH_2), 52.0 (CH), 51.5 (CH_3), 40.7 (CH_2), 33.1 (CH_2), 29.6 (CH_2), 24.7 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2949, 1719, 1584, 1511, 1462, 1264, 1162, 771, 734, 698 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 433 (100) $[M+H]^+$, 455 (60) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{26}H_{29}N_2O_4^+$ $[M+H]^+$: 433.2127, found: 433.2124.



Methyl 3-(1*H*-indol-3-yl)-2-[3-(24yridine-2-yl)phenyl]propanoate (4k)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2l** (238 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4k** (37 mg, 52%), as a colorless liquid.

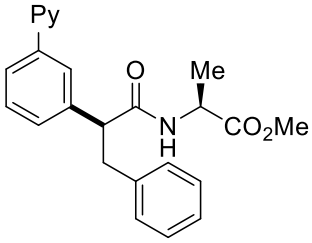
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (d, *J* = 4.4 Hz, 1H), 7.98 (s, 1H), 7.90 (ddd, *J* = 4.5, 1.7 Hz, 1H), 7.80–7.72 (m, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.63 (d, *J* = 7.8 Hz, 1H), 7.44 (d, *J* = 4.5 Hz, 2H), 7.33 (d, *J* = 7.9 Hz, 1H), 7.26–7.18 (m, 2H), 7.15 (dd, *J* = 3.6, 1.3 Hz, 1H), 7.14–7.04 (m, 1H), 6.89 (d, *J* = 2.2 Hz, 1H), 4.10 (dd, *J* = 8.9, 6.4 Hz, 1H), 3.68 (dd, *J* = 8.9, 6.4 Hz, 1H), 3.61 (s, 3H), 3.25 (dd, *J* = 14.6, 6.4 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 174.2 (C_q), 157.1 (C_q), 149.5 (CH), 139.7 (CH), 139.6 (C_q), 136.9 (C_q), 136.1 (C_q), 129.1 (CH), 128.5 (CH), 127.3 (CH), 126.7 (C_q), 126.0 (CH), 122.4 (CH), 122.2 (CH), 121.9 (CH), 120.8 (CH), 119.4 (CH), 118.7 (CH), 113.3 (CH), 111.1 (C_q), 52.5 (CH), 52.0 (CH_3), 29.5 (CH_2).

IR (ATR): $\tilde{\nu}$ = 3422, 2950, 1732, 1585, 1459, 1434, 1211, 1162, 908, 741 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 357 (100) $[M+H]^+$, 379 (10) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{23}H_{21}N_2O_2^+$ $[M+H]^+$: 357.1603, found: 357.1598.



Methyl {3-phenyl-2-[3-(25yridine-2-yl)phenyl]propanoyl}-*L*-alaninate (4l)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2m** (251 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4l** (40 mg, 51%, d.r. = 1:1), as a colorless liquid.

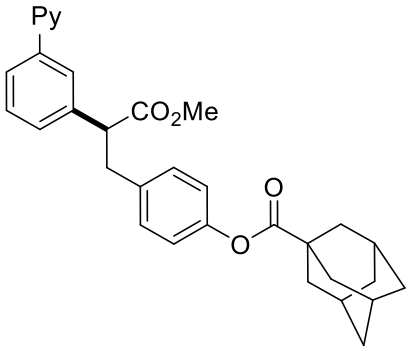
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.68 (d, *J* = 4.6 Hz, 2H), 8.02–7.84 (m, 4H), 7.79–7.64 (m, 4H), 7.48–7.40 (m, 4H), 7.25–7.20 (m, 6H), 7.19–7.08 (m, 6H), 5.99 (d, *J* = 6.2 Hz, 2H), 4.51 (q, *J* = 7.1 Hz, 2H), 3.75–3.69 (m, 2H), 3.67 (s, 3H), 3.62 (s, 3H), 3.55 (dd, *J* = 13.2, 9.5 Hz, 2H), 3.05 (dd, *J* = 13.2, 5.7 Hz, 2H), 1.25 (d, *J* = 7.1 Hz, 3H), 1.21 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.2 (C_q), 173.2 (C_q), 172.0 (C_q), 171.9 (C_q), 157.2 (C_q), 157.1 (C_q), 149.6, 149.6, 140.0 (C_q), 139.8 (C_q), 139.7 (C_q), 139.7 (C_q), 139.4 (C_q), 139.3 (C_q), 136.8 (CH), 129.2 (CH), 129.1 (CH), 129.1 (CH), 129.0 (CH), 128.5 (CH), 128.4 (CH), 128.3 (CH), 128.2 (CH), 126.8 (CH), 126.7 (CH), 126.3 (CH), 126.2 (CH), 126.0 (CH), 125.9 (CH), 122.2 (CH), 122.2 (CH), 120.7 (CH), 120.7 (CH), 55.5 (CH), 55.2 (CH), 52.4 (CH) (CH_3), 52.3 (CH_3), 48.1 (CH), 48.1 (CH), 40.1 (CH_2), 39.8 (CH_2), 18.3 (CH_3), 18.1 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2920, 1745, 1655, 1585, 1534, 1452, 1206, 1171, 772, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 389 (100) $[M+H]^+$, 411 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{24}H_{25}N_2O_3^+$ $[M+H]^+$: 389.1865, found: 389.1860.



4-{3-Methoxy-3-oxo-2-[3-(25 yridine-2-yl)phenyl]propyl}phenyl (1s,3s)-adamantane-1-carboxylate (4m)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2n** (294 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc =

5:1) yielded **4m** (83 mg, 84%), as a colorless liquid.

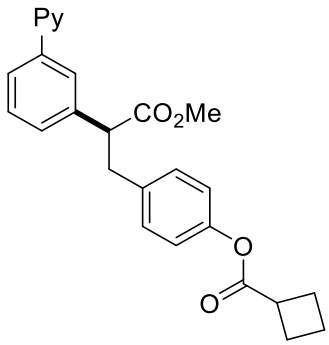
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (ddd, *J* = 4.8, 1.8, 1.0 Hz, 1H), 7.95–7.85 (m, 2H), 7.77–7.68 (m, 2H), 7.45–7.36 (m, 2H), 7.23 (ddd, *J* = 7.2, 4.8, 1.3 Hz, 1H), 7.15 (d, *J* = 8.5 Hz, 2H), 6.93 (d, *J* = 8.5 Hz, 2H), 3.94 (dd, *J* = 9.1, 6.3 Hz, 1H), 3.60 (s, 3H), 3.47 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.07 (dd, *J* = 13.8, 6.3 Hz, 1H), 2.09–2.05 (m, 3H), 2.03 (d, *J* = 2.9 Hz, 6H), 1.76 (d, *J* = 3.2 Hz, 6H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 176.1 (C_q), 173.6 (C_q), 157.0 (C_q), 149.6 (CH), 149.6 (C_q), 139.8 (C_q), 139.0 (C_q), 136.7 (CH), 136.2 (C_q), 129.8 (CH), 129.0 (CH), 128.3 (CH), 126.6 (CH), 126.0 (CH), 122.2 (CH), 121.3 (CH), 120.7 (CH), 53.6 (CH), 52.0 (CH_3), 40.9 (C_q), 39.2 (CH_2), 38.7 (CH_2), 36.4 (CH_2), 27.8 (CH).

IR (ATR): $\tilde{\nu}$ = 2906, 2852, 1737, 1584, 1508, 1452, 1195, 1165, 1054, 768 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 496 (100) $[M+H]^+$, 518 (60) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{32}H_{34}NO_4^+$ $[M+H]^+$: 496.2488, found: 496.2483.



4-{3-Methoxy-3-oxo-2-[3-(26yridine-2-yl)phenyl]propyl}phenyl cyclobutanecarboxylate (4n)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2o** (262 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4n** (59 mg, 71%), as a colorless liquid.

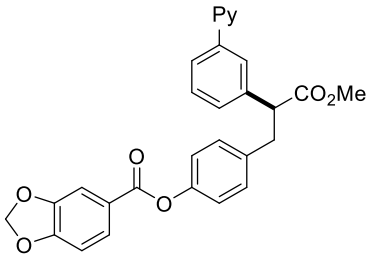
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (d, *J* = 4.6 Hz, 1H), 7.96–7.83 (m, 2H), 7.78 (dd, *J* = 7.9, 5.6 Hz, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.51–7.33 (m, 2H), 7.28–7.23 (m, 1H), 7.15 (d, *J* = 8.4 Hz, 2H), 6.96 (d, *J* = 8.4 Hz, 2H), 3.94 (dd, *J* = 9.0, 6.4 Hz, 1H), 3.61 (s, 3H), 3.47 (dd, *J* = 13.8, 9.0 Hz, 1H), 3.35 (pd, *J* = 8.5, 1.0 Hz, 1H), 3.07 (dd, *J* = 13.8, 6.4 Hz, 1H), 2.47–2.36 (m, 2H), 2.35–2.25 (m, 2H), 2.09–1.91 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.9 (C_q), 173.6 (C_q), 156.8 (C_q), 149.3 (C_q), 149.3 (CH), 139.4 (C_q), 139.1 (C_q), 137.2 (CH), 136.3 (C_q), 129.9 (CH), 129.1 (CH), 128.5 (CH), 126.7 (CH), 126.1 (CH), 122.3 (CH), 121.3 (CH), 120.9 (CH), 53.6 (CH), 52.0 (CH_3), 39.2 (CH_2), 38.1 (CH), 25.3 (CH_2), 18.4 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2950, 1733, 1584, 1507, 1434, 1322, 1198, 1167, 1017, 764 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 416 (100) $[M+H]^+$, 438 (10) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{26}H_{26}NO_4^+$ $[M+H]^+$: 416.1862, found: 416.1856.



4-{3-Methoxy-3-oxo-2-[3-(27 yridine-2-yl)phenyl]propyl}phenyl benzo[*d*][1,3]dioxole-5-carboxylate (4o)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2p** (288 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4o** (87 mg, 90%), as a colorless liquid.

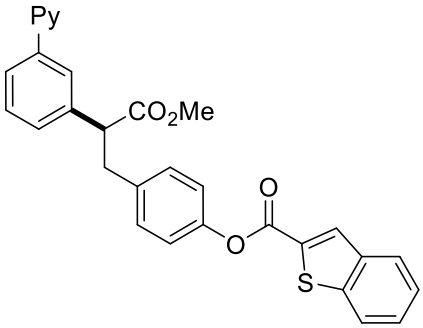
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71 (d, *J* = 3.9 Hz, 1H), 7.95 (s, 1H), 7.90 (d, *J* = 7.6 Hz, 1H), 7.80 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.76 (dd, *J* = 7.4, 1.7 Hz, 1H), 7.71 (d, *J* = 7.8 Hz, 1H), 7.59 (d, *J* = 1.8 Hz, 1H), 7.45 (dd, *J* = 7.6 Hz, 1H), 7.39 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.25–7.15 (m, 3H), 7.07 (d, *J* = 8.5 Hz, 2H), 6.89 (d, *J* = 8.2 Hz, 1H), 6.06 (s, 2H), 3.96 (t, *J* = 9.0, 6.3 Hz, 1H), 3.62 (s, 3H), 3.50 (dd, *J* = 13.8, 9.0 Hz, 1H), 3.10 (dd, *J* = 13.8, 6.3 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.6 (C_q), 164.5 (C_q), 157.0 (C_q), 152.1 (C_q), 149.5 (CH), 147.8 (C_q), 139.7 (C_q), 139.1 (2C_q), 136.9 (CH), 136.5 (C_q), 130.0 (CH), 129.1 (CH), 128.4 (CH), 126.7 (CH), 126.1 (2CH), 123.4 (C_q), 122.3 (CH), 121.5 (CH), 120.8 (CH), 109.9 (CH), 108.1 (CH), 101.9 (CH_2), 53.6 (CH), 52.1 (CH_3), 39.2 (CH_2).

IR (ATR): $\tilde{\nu}$ = 1730, 1506, 1442, 1275, 1258, 1195, 1101, 1036, 916, 753 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 482 (100) $[M+H]^+$, 504 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{29}H_{24}NO_6^+$ $[M+H]^+$: 482.1604, found: 482.1601.



4-{3-Methoxy-3-oxo-2-[3-(27 yridine-2-yl)phenyl]propyl}phenyl benzo[*b*]thiophene-2-

carboxylate (4p)

The general procedure **A** was followed using2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2q** (293 mg, 0.4 mmol) .Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4p** (54 mg, 55%), as a colorless liquid.

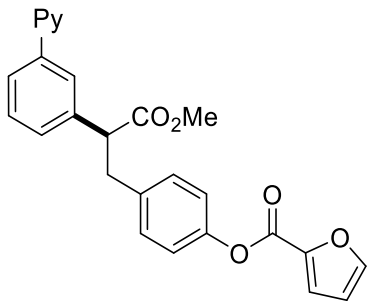
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71 (dd, *J* = 4.8, 1.5 Hz, 1H), 8.23 (s, 1H), 7.97–7.87 (m, 4H), 7.81–7.75 (m, 1H), 7.72 (d, *J* = 7.8 Hz, 1H), 7.45 (m, 4H), 7.28–7.21 (m, 3H), 7.14 (d, *J* = 8.5 Hz, 2H), 3.97 (dd, *J* = 9.0, 6.4 Hz, 1H), 3.63 (s, 3H), 3.51 (dd, *J* = 13.7, 9.0 Hz, 1H), 3.11 (dd, *J* = 13.7, 6.4 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.6 (C_q), 161.2 (C_q), 157.0 (C_q), 149.5 (CH), 149.1 (C_q), 142.6 (C_q), 139.6 (C_q), 139.0 (C_q), 138.6 (C_q), 137.0 (CH), 136.9 (C_q), 132.7 (C_q), 131.8 (CH), 130.1 (CH), 129.2 (CH), 128.5 (CH), 127.3 (CH), 126.7 (CH), 126.2 (CH), 125.7 (CH), 125.1 (CH), 122.8 (CH), 122.3 (CH), 121.4 (CH), 120.9 (CH), 53.6 (CH), 52.1 (CH_3), 39.3 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2950, 1729, 1505, 1269, 1229, 1175, 1155, 1020, 754, 721 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 494 (100) $[M+H]^+$, 516 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{30}H_{24}NO_4S^+$ $[M+H]^+$: 494.1426, found: 494.1422.



4-{3-Methoxy-3-oxo-2-[3-(28yridine-2-yl)phenyl]propyl}phenyl furan-2-carboxylate (4q)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2r** (266 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4q** (59 mg, 69%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (d, *J* = 4.4 Hz, 1H), 7.95–7.88 (m, 2H), 7.82–7.74 (m, 1H), 7.73–7.64 (m, 2H), 7.44 (dd, *J* = 7.6, 7.5 Hz, 1H), 7.39 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.35 (dd, *J* = 3.5, 0.8 Hz, 1H), 7.26–7.22 (m, 1H), 7.20 (d, *J* = 8.5 Hz, 2H), 7.09 (d, *J* = 8.5 Hz, 2H), 6.58 (dd, *J* = 3.6, 1.7 Hz, 1H), 3.96 (dd, *J* = 9.0, 6.3 Hz, 1H), 3.62 (s, 3H), 3.49 (dd, *J* = 13.8, 9.0 Hz, 1H), 3.10 (dd, *J* = 13.8, 6.4 Hz, 1H).

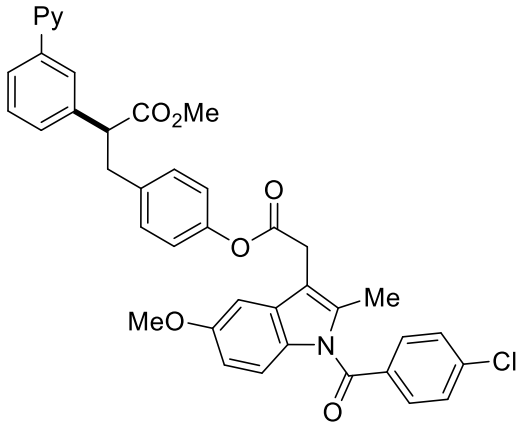
^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.6 (C_q), 157.0 (C_q), 156.9 (C_q), 149.5 (CH), 148.7 (C_q), 147.1 (CH), 144.0 (C_q), 139.7 (C_q), 139.1 (C_q), 137.0 (CH), 136.9 (C_q), 130.1 (CH), 129.2 (CH), 128.5

(CH), 126.7 (CH), 126.2 (CH), 122.3 (CH), 121.4 (CH), 120.9 (CH), 119.4 (CH), 112.2 (CH), 53.6 (CH), 52.1 (CH_3), 39.3 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2952, 1733, 1471, 1392, 1293, 1198, 1173, 1088, 1015, 762 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 428 (100) $[M+H]^+$, 450 (10) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{26}H_{22}NO_5^+$ $[M+H]^+$: 428.1498, found: 428.1495.



Methyl 3-{4-{2-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]acetoxy}phenyl}-2-[3-(29yridine-2-yl)phenyl]propanoate (4r)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2s** (365 mg, 0.4 mmol). After 24 h, purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4r** (82 mg, 61%), as a white solid.

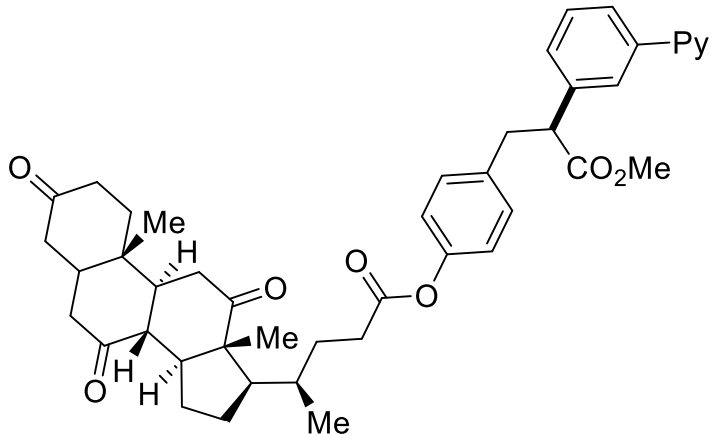
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71–8.65 (m, 1H), 7.96–7.84 (m, 2H), 7.74 (ddd, *J* = 7.6, 1.9 Hz, 1H), 7.70–7.65 (m, 3H), 7.49–7.40 (m, 3H), 7.37 (d, *J* = 7.0 Hz, 1H), 7.25–7.20 (m, 1H), 7.15 (d, 2H), 7.05 (d, *J* = 2.5 Hz, 1H), 6.96–6.93 (m, 2H), 6.90 (d, *J* = 9.1 Hz, 1H), 6.69 (dd, *J* = 8.9, 2.5 Hz, 1H), 3.92 (dd, *J* = 9.0, 6.3 Hz, 1H), 3.88 (s, 2H), 3.83 (s, 3H), 3.60 (s, 3H), 3.46 (dd, *J* = 13.8, 9.0 Hz, 1H), 3.06 (dd, *J* = 13.8, 6.3 Hz, 1H), 2.44 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.5 (C_q), 169.3 (C_q), 168.2 (C_q), 157.0 (C_q), 156.1 (C_q), 149.6 (CH), 149.2 (C_q), 139.8 (C_q), 139.3 (C_q), 138.9 (C_q), 136.8 (CH), 136.7 (C_q), 136.1 (C_q), 133.8 (C_q), 131.2 (CH), 130.8 (C_q), 130.5 (C_q), 129.9 (CH), 129.1 (2CH), 128.3 (CH), 126.6 (CH), 126.1 (CH), 122.2 (CH), 121.2 (CH), 120.7 (CH), 115.0 (CH), 112.0 (C_q), 111.8 (CH), 101.2 (CH), 55.7 (CH_3), 53.5 (CH), 52.0 (CH_3), 39.1 (CH_2), 30.5 (CH_2), 13.4 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2953, 1732, 1679, 1477, 1324, 1310, 1195, 1125, 906, 726 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 673 (100) $[M+H]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{40}H_{34}ClN_2O_6^+$ $[M+H]^+$: 673.2105, found: 673.2106.



4-{3-Methoxy-3-oxo-2-[3-(30yridine-2-yl)phenyl]propyl}phenyl (4R)-4-[(8R,9S,10S,13R,14S,17R)-10,13-dimethyl-3,7,12-trioxohexadecahydro-1*H*-cyclopenta[*a*]30yridine30ene-17-yl]pentanoate (4s)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2t** (383 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4s** (105 mg, 73%), as a white solid.

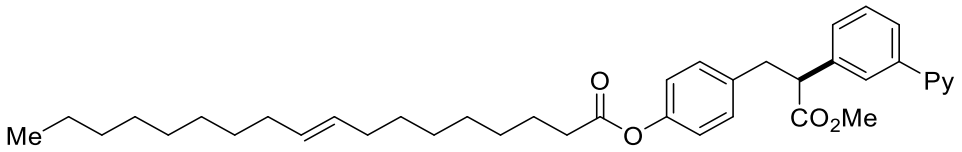
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.5 Hz, 1H), 7.92 (dd, *J* = 1.7 Hz, 1H), 7.88 (ddd, *J* = 7.6, 1.5 Hz, 1H), 7.75 (ddd, *J* = 7.6, 1.8 Hz, 1H), 7.69 (ddd, *J* = 8.0, 1.2 Hz, 1H), 7.42 (dd, *J* = 7.6 Hz, 1H), 7.37 (d, *J* = 7.7 Hz, 1H), 7.23 (ddd, *J* = 7.3, 4.5, 1.3 Hz, 1H), 7.15 (d, *J* = 8.2 Hz, 2H), 6.94 (d, *J* = 8.2 Hz, 2H), 3.93 (dd, *J* = 9.1, 6.3 Hz, 1H), 3.60 (s, 3H), 3.46 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.06 (dd, *J* = 13.8, 6.3 Hz, 1H), 2.97–2.77 (m, 3H), 2.62 (ddd, *J* = 14.6, 9.0, 5.3 Hz, 1H), 2.49 (dt, *J* = 15.9, 8.0 Hz, 1H), 2.40–2.23 (m, 5H), 2.21–2.11 (m, 3H), 2.10–2.03 (m, 2H), 2.01–1.92 (m, 3H), 1.86 (td, *J* = 11.4, 7.1 Hz, 1H), 1.61 (td, *J* = 14.3, 5.0 Hz, 1H), 1.53–1.45 (m, 1H), 1.41–1.33 (m, 5H), 1.30–1.23 (m, 1H), 1.08 (s, 3H), 0.90 (d, *J* = 6.6 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 211.9 (C_q), 209.0 (C_q), 208.6 (C_q), 173.6 (C_q), 172.5 (C_q), 157.0 (C_q), 149.6 (CH), 149.2 (C_q), 139.8 (C_q), 139.0 (C_q), 136.8 (CH), 136.5 (C_q), 129.9 (CH), 129.1 (CH), 128.3 (CH), 126.6 (CH), 126.1 (CH), 122.2 (CH), 121.4 (CH), 120.7 (CH), 56.9 (C_q), 53.6 (CH), 52.0 (CH_3), 51.7 (CH), 48.9 (CH), 46.8 (CH), 45.6 (CH), 45.5 (CH), 44.9 (CH_2), 42.7 (CH_2), 39.2 (CH_2), 38.6 (CH_2), 36.4 (CH_2), 36.0 (C_q), 35.4 (CH), 35.2 (CH_2), 31.5 (CH_2), 30.4 (CH_2), 27.6 (CH_2), 25.1 (CH_2), 21.9 (CH_3), 18.6 (CH_3), 11.8 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2984, 1736, 1372, 1233, 1043, 938, 846, 785, 634,607 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 718 (100) $[M+H]^+$, 740 (50) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{45}H_{52}NO_7^+$ $[M+H]^+$: 718.3744, found: 718.3741.



4-{3-Methoxy-3-oxo-2-[3-(31yridine-2-yl)phenyl]propyl}phenyl l-octadec-9-enoate (4t)

The general procedure **A** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **2u** (335 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **4t** (73 mg, 61%), as a colorless liquid.

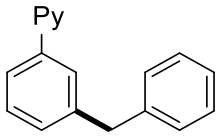
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70 (ddd, *J* = 4.8, 1.3 Hz, 1H), 7.93 (dd, *J* = 1.8 Hz, 1H), 7.89 (ddd, *J* = 7.6, 1.5 Hz, 1H), 7.75 (ddd, *J* = 7.6, 7.0, 1.8 Hz, 1H), 7.70 (ddd, *J* = 8.0, 1.2 Hz, 1H), 7.43 (dd, *J* = 7.6 Hz, 1H), 7.38 (dt, *J* = 7.7, 1.6 Hz, 1H), 7.23 (ddd, *J* = 7.0, 4.8, 1.3 Hz, 1H), 7.16 (d, *J* = 8.5 Hz, 2H), 6.96 (d, *J* = 8.5 Hz, 2H), 5.41–5.36 (m, 2H), 3.94 (dd, *J* = 9.1, 6.3 Hz, 1H), 3.61 (s, 3H), 3.47 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.07 (dd, *J* = 13.8, 6.3 Hz, 1H), 2.52 (t, *J* = 7.5 Hz, 2H), 2.13–1.88 (m, 4H), 1.73 (p, *J* = 7.6, 7.1 Hz, 2H), 1.44–1.21 (m, 20H), 0.88 (t, *J* = 6.8 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 173.5 (C_q), 172.3 (C_q), 157.1 (C_q), 149.6 (CH), 149.3 (C_q), 139.8 (C_q), 139.0 (C_q), 136.7 (CH), 136.4 (C_q), 130.5 (CH), 130.1 (CH), 129.9 (CH), 129.1 (CH), 128.3 (CH), 126.6 (CH), 126.1 (CH), 122.2 (CH), 121.4 (CH), 120.7 (CH), 53.6 (CH), 52.0 (CH_3), 39.2 (CH_2), 34.3 (CH_2), 32.6 (CH_2), 32.5 (CH_2), 31.9 (CH_2), 29.6 (CH_2), 29.5 (CH_2), 29.5 (CH_2), 29.3 (CH_2), 29.2 (CH_2), 29.1 (CH_2), 29.0 (CH_2), 28.9 (CH_2), 24.9 (CH_2), 22.7 (CH_2), 14.1 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2923, 2852, 1758, 1735, 1507, 1462, 1198, 1166, 740, 699 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 598 (100) $[M+H]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{39}H_{52}NO_4^+$ $[M+H]^+$: 598.3896, found: 598.3891.



2-(3-Benzylphenyl)pyridine (6a)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5a** (194 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6a** (30 mg, 61%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.7 Hz, 1H), 7.88 (s, 1H), 7.82 (d, *J* = 7.8 Hz, 1H), 7.77–7.66 (m, 2H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.30 (dd, *J* = 7.5 Hz, 2H), 7.27–7.17 (m, 5H), 4.09 (s, 2H).

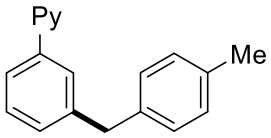
^{13}C NMR (101 MHz, $CDCl_3$) δ = 157.5 (C_q), 149.6 (CH), 141.6 (C_q), 141.0 (C_q), 139.6 (C_q), 136.7 (CH), 129.6 (CH), 128.9 (CH), 128.9 (CH), 128.5 (CH), 127.6 (CH), 126.1 (CH), 124.7 (CH), 122.0 (CH), 120.7 (CH), 42.0 (CH_2).

IR (ATR): $\tilde{\nu}$ = 3025, 1583, 1564, 1511, 1461, 1434, 768, 723, 696 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 246 (100) $[M+H]^+$, 268 (20) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{18}H_{16}N^+$ $[M+H]^+$: 246.1283, found: 246.1279.

The spectral data were in accordance with those reported in the literature[3].



2-[3-(4-Methylbenzyl)phenyl]pyridine (6b)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5b** (200 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6b** (35 mg, 67%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.7 Hz, 1H), 7.86 (s, 1H), 7.80 (d, *J* = 7.8 Hz, 1H), 7.77–7.67 (m, 2H), 7.39 (dd, *J* = 7.7 Hz, 1H), 7.28–7.20 (m, 2H), 7.11 (d, *J* = 2.6 Hz, 4H), 4.04 (s, 2H), 2.31 (s, 3H).

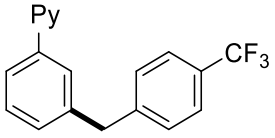
^{13}C NMR (101 MHz, $CDCl_3$): δ = 157.5 (C_q), 149.5 (CH), 142.0 (C_q), 139.5 (C_q), 138.0 (C_q), 136.8 (CH), 135.6 (C_q), 129.6 (CH), 129.2 (CH), 128.9 (CH), 128.8 (CH), 127.5 (CH), 124.7 (CH), 122.1 (CH), 120.7 (CH), 41.6 (CH_2), 21.0 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2919, 1584, 1565, 1513, 1461, 1434, 1151, 805, 774, 748 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 260 (100) $[M+H]^+$, 282 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{19}H_{18}N^+$ $[M+H]^+$: 260.1439, found: 260.1435.

The spectral data were in accordance with those reported in the literature[3].



2-{3-[4-(Trifluoromethyl)benzyl]phenyl}pyridine (6c)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5c** (221 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6c** (48 mg, 76%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.8, 1H), 7.88 (dd, *J* = 1.9 Hz, 1H), 7.83 (d, *J* = 7.8 Hz, 1H), 7.78–7.67 (m, 2H), 7.54 (d, *J* = 7.9 Hz, 2H), 7.41 (dd, *J* = 8.6, 7.8 Hz, 1H), 7.34 (d, *J* = 7.9 Hz, 2H), 7.25–7.20 (m, 2H), 4.13 (s, 2H).

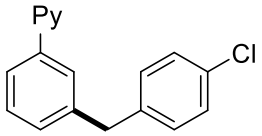
^{13}C NMR (101 MHz, $CDCl_3$): δ = 157.3 (C_q), 149.7 (CH), 145.1 (C_q), 145.1 (C_q), 140.5 (C_q), 139.8 (C_q), 136.8 (CH), 129.6 (CH), 129.2 (CH), 129.1 (CH), 127.6 (CH), 125.4 (q, $J_{C–F}$ = 3.8 Hz, CH), 125.1 (CH), 122.2 (CH), 120.7 (CH), 41.8 (CH_2).

^{19}F NMR (377 MHz, $CDCl_3$): δ = -62.34.

IR (ATR): $\tilde{\nu}$ = 3049, 1585, 1324, 1163, 1122, 1107, 1066, 908, 756, 732 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 314 (100) $[M+H]^+$, 336 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{19}H_{15}F_3N^+$ $[M+H]^+$: 314.1157, found: 314.1151.



2-[3-(4-Chlorobenzyl)phenyl]pyridine 6d)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5d** (208 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6d** (34 mg, 61%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.70–8.65 (m, 1H), 7.89–7.77 (m, 2H), 7.76–7.66 (m, 2H), 7.40 (dd, *J* = 8.7, 6.6 Hz, 1H), 7.27–7.19 (m, 4H), 7.15 (ddd, *J* = 8.9, 2.6 Hz, 2H), 4.03 (s, 2H).

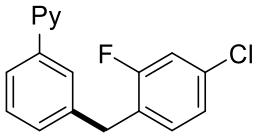
^{13}C NMR (101 MHz, $CDCl_3$): δ = 157.4 (C_q), 149.7 (CH), 141.1 (C_q), 139.7 (C_q), 139.5 (C_q), 136.7 (CH), 131.9 (C_q), 130.3 (CH), 129.5 (CH), 129.0 (CH), 128.6 (CH), 127.5 (CH), 124.9 (CH), 122.2 (CH), 120.7 (CH), 41.3 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2925, 1584, 1490, 1461, 1321, 1090, 1015, 798, 770, 743 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 280 (100) $[M+H]^+$, 302 (5) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{18}H_{15}ClN^+$ $[M+H]^+$: 280.0893, found: 280.0891.

The spectral data were in accordance with those reported in the literature[3].



2-[3-(4-Chloro-2-fluorobenzyl)phenyl]pyridine (6e)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5e** (215 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc =

5:1) yielded **6e** (32 mg, 54%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.73 (d, *J* = 3.6 Hz, 1H), 7.93 (d, *J* = 2.8 Hz, 1H), 7.86 (d, *J* = 7.6 Hz, 1H), 7.83–7.72 (m, 2H), 7.45 (dd, J = 7.7, 7.6 Hz, 1H), 7.33–7.27 (m, 3H), 7.12 (dd, *J* =7.4, 6.6 Hz, 1H), 7.02 (dd, *J* = 7.8 ,7.7 Hz, 1H), 4.14 (s, 2H).

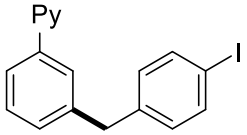
^{13}C NMR (101 MHz, $CDCl_3$): δ = 157.6 (C_q), 157.3 (C_q), 155.1 (C_q), 149.7 (CH), 139.8 (d, $^2J_{C-F}$ = 19.1 Hz, C_q), 136.7 (CH), 129.5 (CH), 129.3 (d,$^4J_{C-F}$ = 4.1 Hz, CH), 129.0 (CH), 128.6 (CH), 127.5 (CH), 125.2 (CH), 124.4 (d, $^3J_{C-F}$ = 4.8 Hz, CH), 122.2 (CH), 120.7 (CH), 35.1 (d, $^3J_{C-F}$ = 2.5 Hz, CH_2).

^{19}F NMR (377 MHz, $CDCl_3$): δ = –119.62.

IR (ATR): $\tilde{\nu}$ = 2923, 1585, 1565,1460, 1435, 1415, 1228, 816, 768, 744 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 298 (100) $[M+H]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{18}H_{14}ClFN^+$ $[M+H]^+$: 298.0799, found: 298.0798.



2-[3-(4-Iodobenzyl)phenyl]pyridine (6f)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **6f** (244 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6f** (39 mg, 52%), as a colorless liquid.

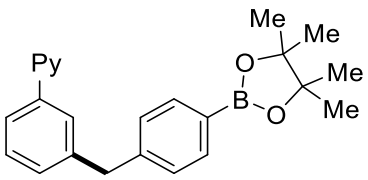
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.75–8.62 (m, 1H), 7.87–7.78 (m, 2H), 7.76–7.66 (m, 2H), 7.60 (d, *J* = 8.3 Hz, 2H), 7.39 (dd, *J* = 7.7, 7.6 Hz, 1H), 7.22 (dddd, *J* = 7.7, 6.1, 5.5, 1.5 Hz, 2H), 6.98 (d, *J* = 8.3 Hz, 2H), 4.01 (s, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 156.9 (C_q), 149.3 (CH), 140.6 (C_q), 140.3 (C_q), 139.3 (C_q), 137.2 (CH), 136.5 (CH), 130.7 (CH), 129.2 (CH), 128.7 (CH), 127.2 (CH), 124.6 (CH), 121.8 (CH), 120.4 (CH), 91.0 (C_q), 41.1 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2922, 1584, 1483, 1461, 1434, 1006, 790, 768, 742, 476 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 372 (100) $[M+H]^+$, 394 (10) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{18}H_{15}IN^+$ $[M+H]^+$: 372.0249, found: 372.0245.



2-{3-[4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)benzyl]phenyl}pyridine (6g)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5g** (244 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6g** (34 mg, 46%), as a colorless liquid.

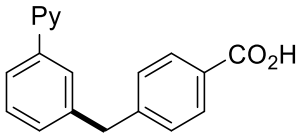
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71 (d, *J* = 3.1, 1.7 Hz, 1H), 7.87 (dd, *J* = 2.4 Hz, 1H), 7.83 (m, 1H), 7.79–7.73 (m, 3H), 7.73–7.69 (m, 1H), 7.45–7.36 (m, 1H), 7.28–7.19 (m, 4H), 4.11 (s, 2H), 1.35 (s, 12H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 157.4 (C_q), 149.5 (CH), 144.3 (C_q), 142.1 (C_q), 141.4 (C_q), 139.4 (C_q), 136.8 (CH), 135.0 (CH), 129.7 (CH), 128.9 (CH), 128.5 (CH), 127.6 (CH), 124.8 (CH), 122.1 (CH), 120.8 (CH), 83.7 (C_q), 42.2 (CH_2), 24.8 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2976, 1611, 1585, 1397, 1359, 1143, 1088, 858, 767, 660 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 372 (100) $[M+H]^+$, 394 (20) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{24}H_{27}BNO_2^+$ $[M+H]^+$: 372.2135, found: 372.2134.



4-[3-(Pyridin-2-yl)benzyl]benzoic acid (6h)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5h** (212 mg, 0.4 mmol). After 24 h, purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6h** (30 mg, 52%), as a colorless liquid.

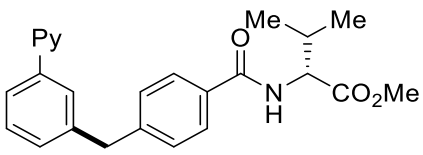
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.71 (d, *J* = 4.8 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 2H), 7.67 (ddd, *J* = 7.8, 7.5, 1.7 Hz, 1H), 7.41–7.32 (m, 3H), 7.25–7.20 (m, 3H), 7.02 (d, *J* = 8.0 Hz, 2H), 4.19 (s, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 170.9 (C_q), 159.6 (C_q), 148.9 (CH), 147.5 (C_q), 140.4 (C_q), 137.9 (C_q), 136.5 (CH), 130.7 (CH), 130.0 (CH), 130.0 (CH), 128.8 (CH), 128.6 (CH), 127.0 (C_q), 126.7 (CH), 124.3 (CH), 122.0 (CH), 39.1 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2925, 1725, 1687, 1611, 1426, 1414, 1280, 1177, 753, 725 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 290 (100) $[M+H]^+$, 312 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{19}H_{16}NO_2^+$ $[M+H]^+$: 290.1181, found: 290.1179.



Methyl {4-[3-(35yridine-2-yl)benzyl]benzoyl}-*D*-valinate (6i)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5i** (245 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6i** (51 mg, 63%), as a colorless liquid.

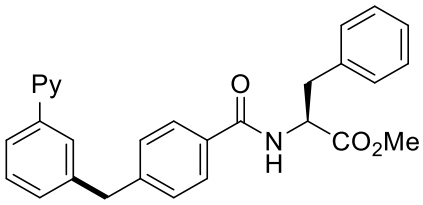
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.68 (d, *J* = 4.8 Hz, 1H), 7.86 (s, 1H), 7.81 (d, *J* = 7.7 Hz, 1H), 7.77–7.66 (m, 4H), 7.40 (dd, *J* = 7.7 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.25–7.18 (m, 2H), 6.59 (d, *J* = 8.7 Hz, 1H), 4.77 (dd, *J* = 8.7, 4.9 Hz, 1H), 4.11 (s, 2H), 3.76 (s, 3H), 2.26 (pd, *J* = 7.2, 4.9 Hz, 1H), 0.98 (t, *J* = 7.2 Hz, 6H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 172.6 (C_q), 167.1 (C_q), 157.3 (C_q), 149.6 (CH), 145.1 (C_q), 140.8 (C_q), 139.7 (C_q), 136.7 (CH), 132.0 (C_q), 129.5 (CH), 129.2 (CH), 129.0 (CH), 127.5 (CH), 127.3 (CH), 125.0 (CH), 122.1 (CH), 120.7 (CH), 57.3 (CH), 52.2 (CH_3), 41.8 (CH_2), 31.6 (CH), 19.0 (CH_3), 17.9 (CH_3).

IR (ATR): $\tilde{\nu}$ = 2963, 1744, 1660, 1639, 1537, 1502, 1462, 1208, 1155, 770 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 403 (100) $[M+H]^+$, 425 (30) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{25}H_{27}N_2O_3^+$ $[M+H]^+$: 403.2022, found: 403.2020.



Methyl {4-[3-(36yridine-2-yl)benzyl]benzoyl}-*L*-phenylalaninate (6j)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5j** (276 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6j** (60 mg, 67%), as a colorless liquid.

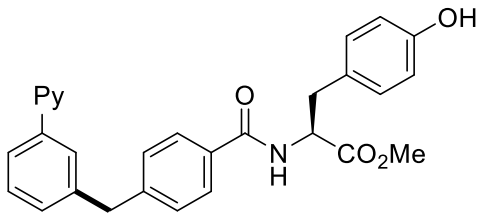
^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.69 (d, *J* = 4.2 Hz, 1H), 7.86 (s, 1H), 7.82 (d, *J* = 7.7 Hz, 1H), 7.76 (td, *J* = 7.7, 1.8 Hz, 1H), 7.70 (dt, *J* = 8.0, 1.2 Hz, 1H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.40 (dd, *J* = 7.7 Hz, 1H), 7.32–7.25 (m, 4H), 7.23 (m, 3H), 7.16–7.08 (m, 2H), 6.54 (d, *J* = 7.5 Hz, 1H), 5.08 (dt, *J* = 7.5, 5.6 Hz, 1H), 4.10 (s, 2H), 3.75 (s, 3H), 3.24 (qd, *J* = 13.8, 5.6 Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 172.0 (C_q), 166.6 (C_q), 157.1 (C_q), 149.4 (CH), 145.1 (C_q), 140.8 (C_q), 139.4 (C_q), 137.0 (CH), 135.8 (C_q), 131.8 (C_q), 129.7 (CH), 129.3 (CH), 129.2 (CH), 129.1 (CH), 128.6 (CH), 127.6 (CH), 127.3 (CH), 127.2 (CH), 125.0 (CH), 122.2 (CH), 120.8 (CH), 53.4 (CH), 52.4 (CH_3), 41.8 (CH_2), 37.9 (CH_2).

IR (ATR): $\tilde{\nu}$ = 2925, 1737, 1664, 1546, 1264, 1215, 764, 736, 720, 658 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 451 (100) $[M+H]^+$, 473 (60) $[M+Na]^+$.

HR-MS (ESI): *m*/*z* calcd for $C_{29}H_{27}N_2O_3^+$ $[M+H]^+$: 451.2022, found: 451.2019.



Methyl {4-[3-(37yridine-2-yl)benzyl]benzoyl}-*L*-tyrosinate (6k)

The general procedure **B** was followed using 2-phenylpyridine (**1a**) (31 mg, 0.2 mmol) and Katritzky salt **5k** (282 mg, 0.4 mmol). Purification by column chromatography (*n*-hexane/EtOAc = 5:1) yielded **6k** (49 mg, 53%), as a colorless liquid.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.67 (d, J = 4.0 Hz, 1H), 7.82 (s, 1H), 7.80–7.73 (m, 2H), 7.70 (d, J = 7.9 Hz, 1H), 7.61 (d, J = 7.7 Hz, 2H), 7.38 (dd, J =7.8, 7.7 Hz, 1H), 7.26–7.11 (m, 4H), 6.92 (d, J = 8.1 Hz, 2H), 6.69 (d, J = 8.1 Hz, 2H), 6.59 (d, J = 7.8 Hz, 1H), 5.01 (t, J = 7.5, 5.7 Hz, 1H), 4.05 (s, 2H), 3.73 (s, 3H), 3.13 (qd, J = 14.0, 5.7 Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ = 172.2 (C_q), 166.9 (C_q), 157.2 (C_q), 155.5 (C_q), 149.2 (CH), 145.1 (C_q), 140.9 (C_q), 139.2 (C_q), 137.4 (CH), 131.5 (C_q), 130.4 (CH), 129.7 (CH), 129.2 (CH), 129.1 (CH), 127.7 (CH), 127.3 (CH), 127.1 (C_q), 125.1 (CH), 122.4 (CH), 121.2 (CH), 115.6 (CH), 53.6 (CH), 52.4 (CH_3), 41.8 (CH_2), 37.0 (CH_2).

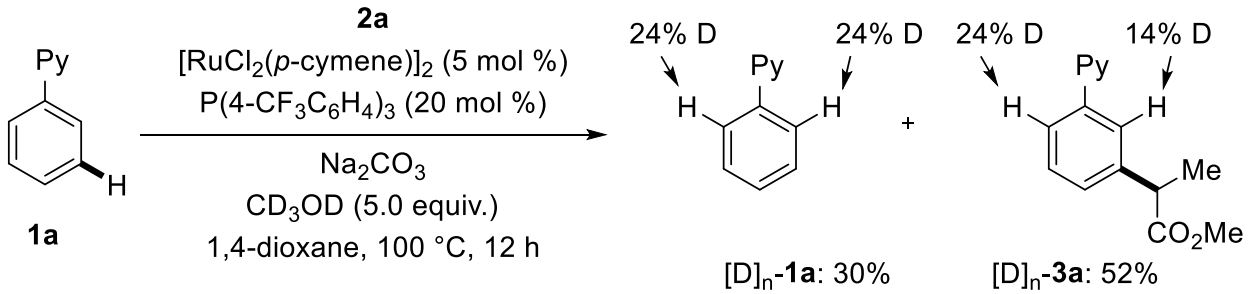
IR (ATR): $\tilde{\nu}$ = 2951, 1744, 1639, 1515, 1495, 1264, 904, 772, 727, 649 cm^{-1}.

MS (ESI) *m*/*z* (relative intensity): 467 (100) $[M+H]^+$, 489 (60) $[M+Na]^+$.

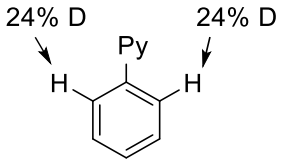
HR-MS (ESI): *m*/*z* calcd for $C_{29}H_{27}N_2O_4^+$ $[M+H]^+$: 467.1971, found: 467.1976.

Mechanistic Investigations

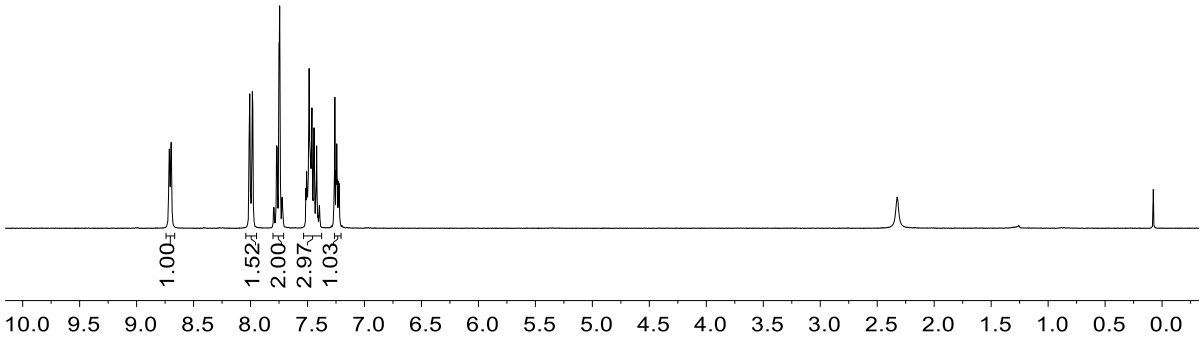
H/D exchange experiment.

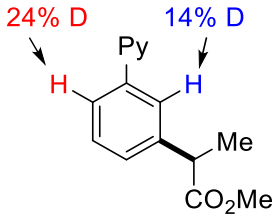


2-Phenylpyridine **1a** (31 mg, 0.2 mmol), Katritzky salt **2a** (192 mg, 0.4 mmol), $[RuCl_2(p\text{-cymene})]_2$ (6.2 mg, 5 mol %), $P(4\text{-}CF_3C_6H_4)_3$ (18.7 mg, 20 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then, CD_3OD (5.0 equiv.) and 1,4-dioxane (0.2 mL) were added. The tube was sealed and stirred at 100 °C for 12 h. After cooling to ambient temperature, the mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*. The product was purified by column chromatography on silica gel (*n*-hexane/EtOAc 5:1), yielding $[D]_n$-**1a** (9.3 mg, 30% yield) as a colorless oil and $[D]_n$-**3a** (25 mg, 52% yield) as a colorless oil. The deuterium incorporation was determined by ^1H NMR spectroscopy.

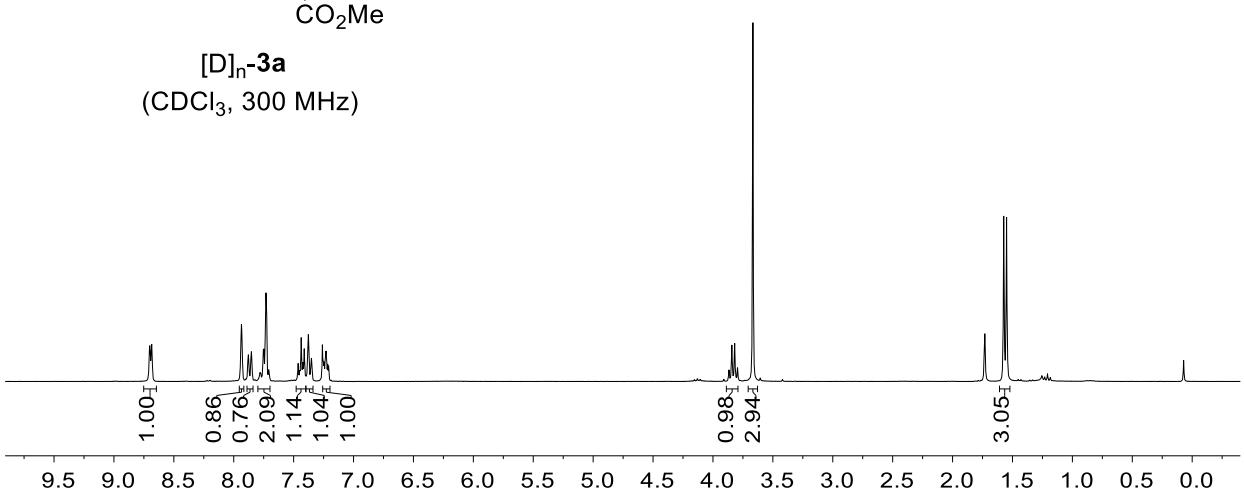


$[D]_n$-**1a**
($CDCl_3$, 300 MHz)

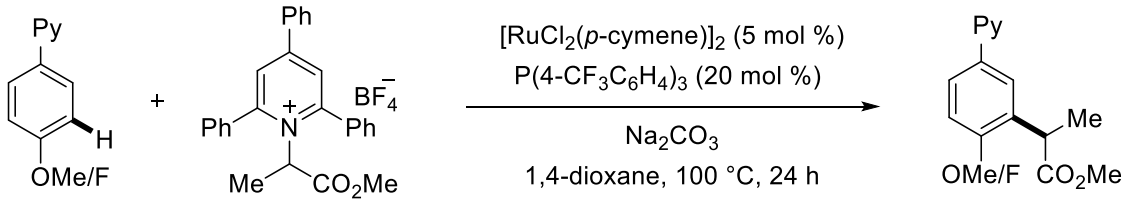




$[D]_n$-**3a**
($CDCl_3$, 300 MHz)

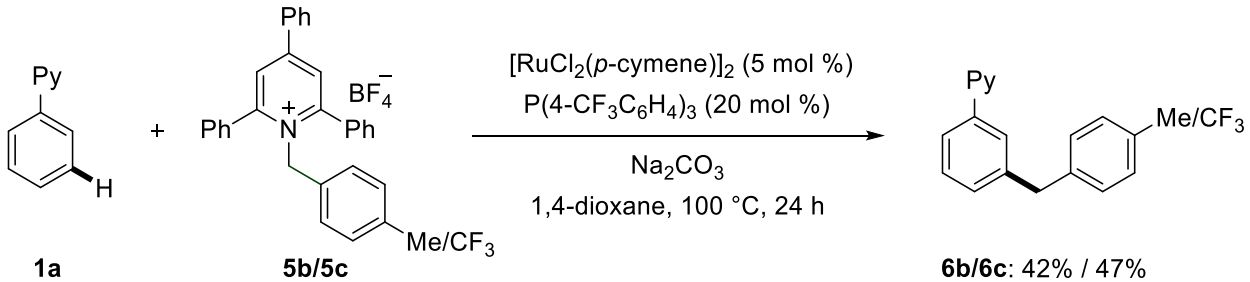


Competition experiment



1b/1c **2a** **3b/3c** : 22% / 30%

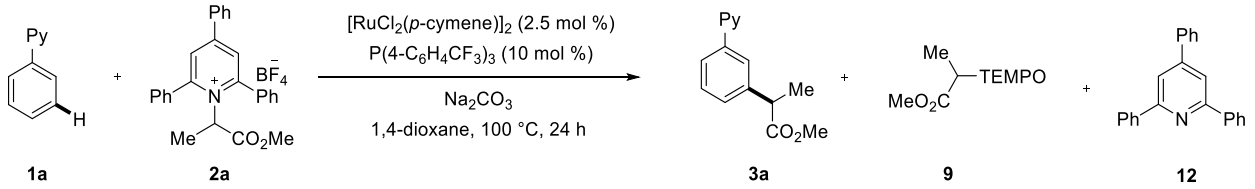
Arene **1b** (37.0 mg, 0.2 mmol), **1c** (35.0 mg, 0.2 mmol), Katritzky salts **2a** (96.0 mg, 0.2 mmol), $[RuCl_2(p\text{-cymene})]_2$ (6.1 mg, 5 mol %), $P(4\text{-}CF_3C_6H_4)_3$ (18.7 mg, 20 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then,1,4-dioxane (0.2 mL) was added. The tube was sealed and stirred at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*. The product was purified by column chromatography on silica gel (*n*-hexane/EtOAc 5:1), yielding **3b** (12 mg, 22% yield) as a colorless oil and **3c** (17 mg, 30% yield) as a colorless oil.



1a **5b/5c** **6b/6c**: 42% / 47%

Arene **1a** (31.0 mg, 0.2 mmol), Katritzky salts **5b** (199.0 mg, 0.4 mmol), Katritzky salt **5c** (221.0 mg, 0.4 mmol), $[RuCl_2(p\text{-cymene})]_2$ (6.1 mg, 5 mol %), $P(4\text{-}CF_3C_6H_4)_3$ (18.7 mg, 20 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then, 1,4-dioxane (0.2 mL) was added. The tube was sealed and stirred at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*. The product was purified by column chromatography on silica gel (*n*-hexane/EtOAc 5:1) yielding **6b** (22 mg, 42 % yield) as a colorless oil and **6c** (30 mg, 47 % yield) as a colorless oil.

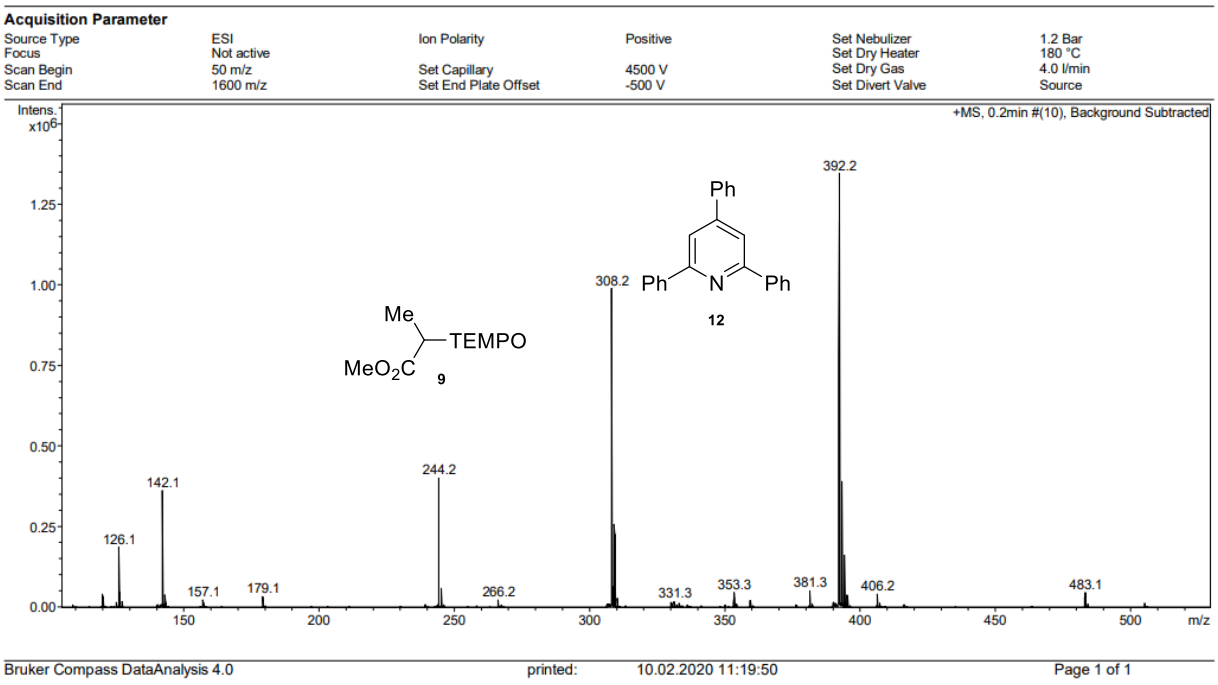
Reaction with Radical Scavengers



1a **2a** **3a** **9** **12**

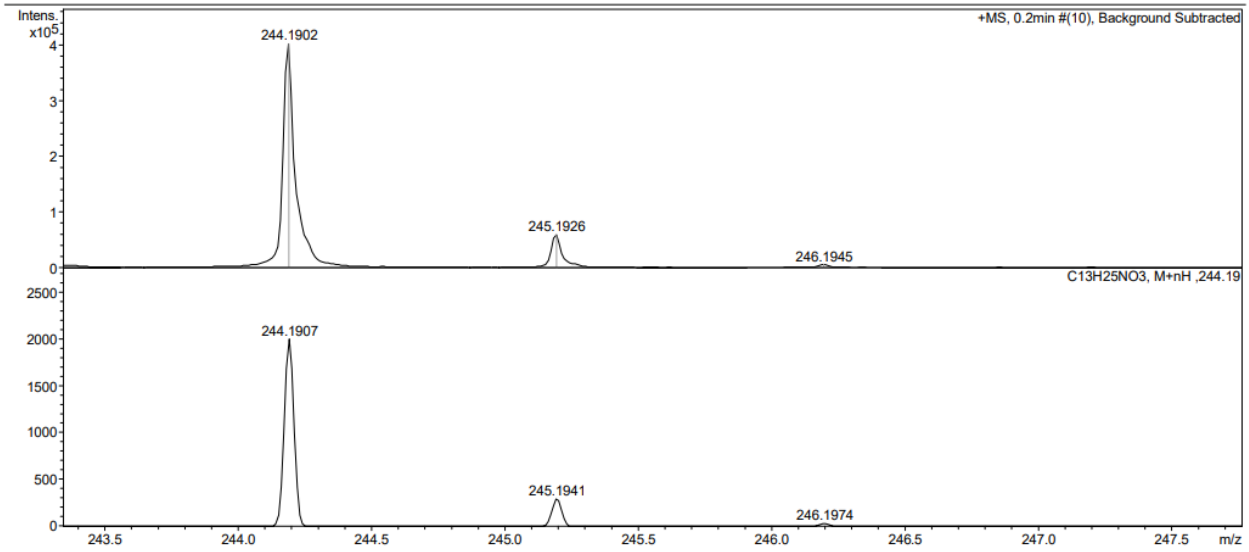
without TEMPO	77%	-	89%
with TEMPO (1 equiv)	21%		
with TEMPO (2 equiv)	trace	detected 244.1907 (ESI-MS)	

2-phenylpyridine **1a** (31.0 mg, 0.2 mmol), Katritzky salt **2a** (192.0 mg, 0.4 mmol), [$RuCl_2$(*p*-cymene)]$_2$ (3.1 mg, 2.5 mol %), P(4-$CF_3C_6H_4$)$_3$ (9.3 mg, 10 mol %), Na_2CO_3 (42.4 mg, 0.4 mmol) and TEMPO (62 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then 1,4-dioxane (0.2 mL) was added. The tube was sealed and stirred at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*, only traces amount of **3a** can be obtained, and **9** can be detected by ESI-MS (*m*/*z* =244.1907). Product **12** can be isolated in 89% yield at the standard conditions.

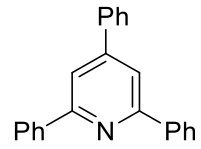


Acquisition Parameter

Source Type	ESI	Ion Polarity	Positive	Set Nebulizer	1.2 Bar
Focus	Not active			Set Dry Heater	180 °C
Scan Begin	50 m/z	Set Capillary	4500 V	Set Dry Gas	4.0 l/min
Scan End	1600 m/z	Set End Plate Offset	-500 V	Set Divert Valve	Source

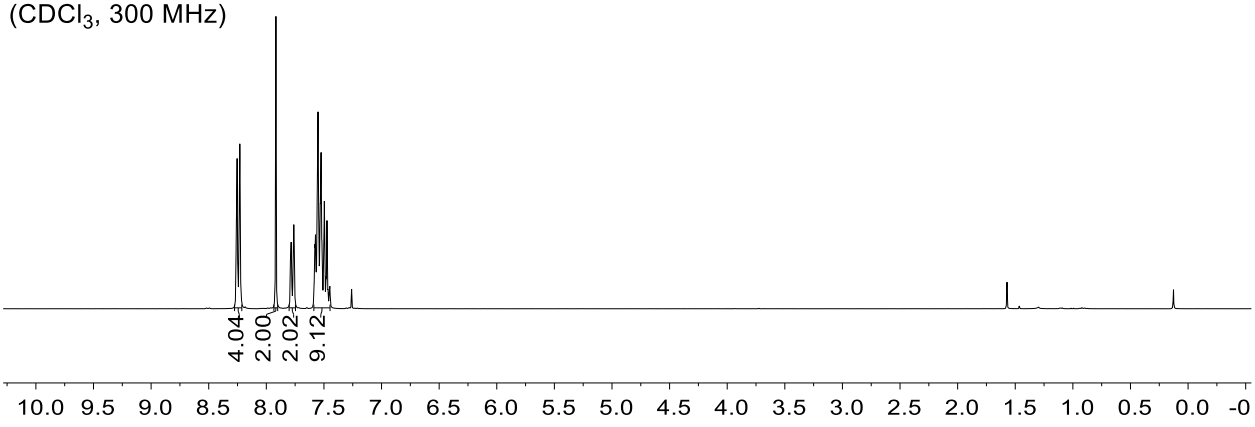


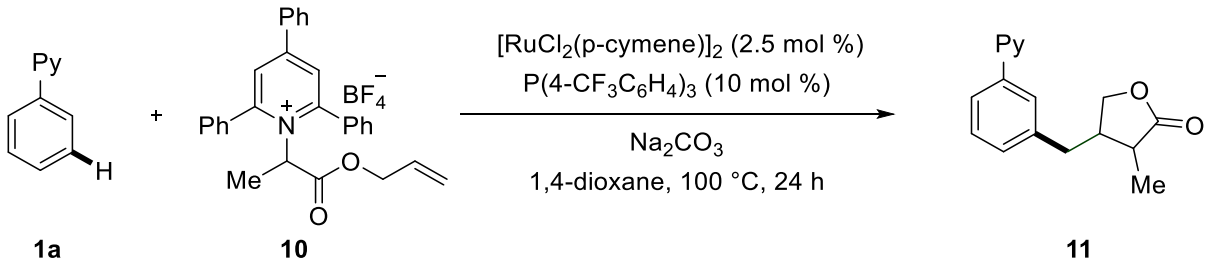
Bruker Compass DataAnalysis 4.0 printed: 10.02.2020 11:20:46 Page 1 of 1



12

($CDCl_3$, 300 MHz)

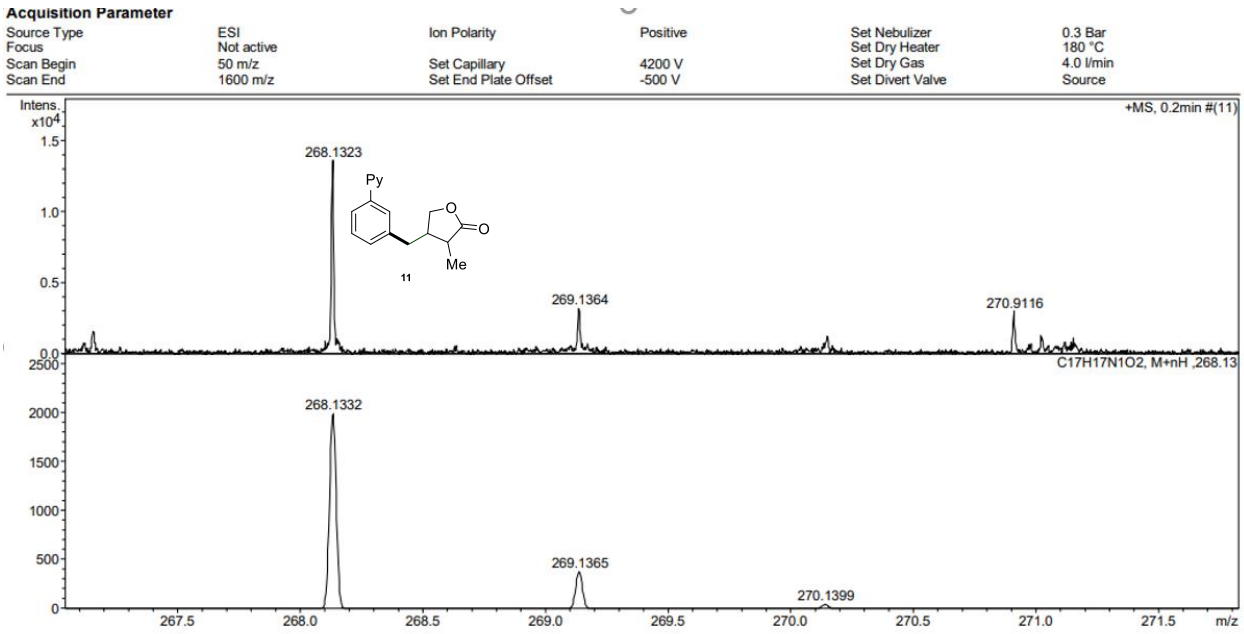




1a **10** **11**

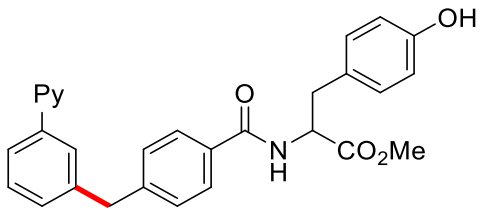
detected 268.1332 (ESI-MS)

2-phenylpyridine **1a** (31.0 mg, 0.2 mmol), Katritzky salt **10** (203.0 mg, 0.4 mmol), $[RuCl_2(p\text{-cymene})]_2$ (3.1 mg, 2.5 mol %), $P(4\text{-}CF_3C_6H_4)_3$ (9.3 mg, 10 mol %) and Na_2CO_3 (42.4 mg, 0.4 mmol) were placed in an oven-dried Schlenk tube. The mixture was evacuated and purged with N_2 three times. Then 1,4-dioxane (2.0 mL) was added. The tube was sealed and heated at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2 and concentrated *in vacuo*, product **11** can be detected by ESI-MS (*m*/*z* =268.1322).

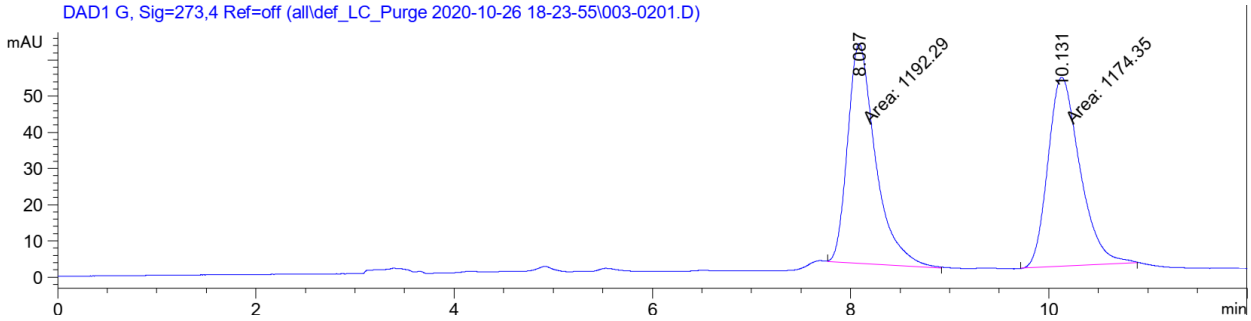


Racemization Studies

Substrates *s*-**6k** and *rac*-**6k** were subjected to the deaminative C–H alkylation under the optimized reaction conditions. HPLC analysis showed that no racemization occurred.

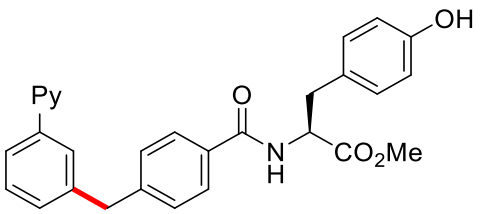


rac-**6k**

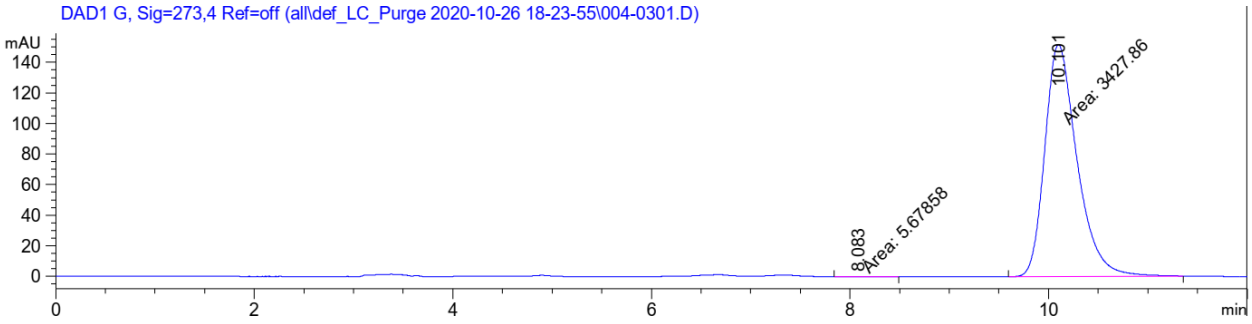


Signal 2: DAD1 G, Sig=273,4 Ref=off

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.087	MM	0.3271	1192.29480	60.74423	50.3791
2	10.131	MM	0.3746	1174.34924	52.24820	49.6209
Totals :				2366.64404	112.99243	



***s*-6k**



Signal 2: DAD1 G, Sig=273,4 Ref=off

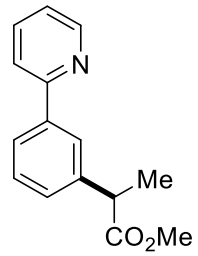
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.083	MM	0.4282	5.67858	2.21005e-1	0.1654
2	10.101	MM	0.3764	3427.86475	151.77724	99.8346
Totals :				3433.54333	151.99824	

Figure 1: HPLC-Chromatogram of substrate *s*-**6k** and *rac*-**6k**. IC *n*-hexane// PrOH 60/40 flow: 1 mL/min, 273 nm.

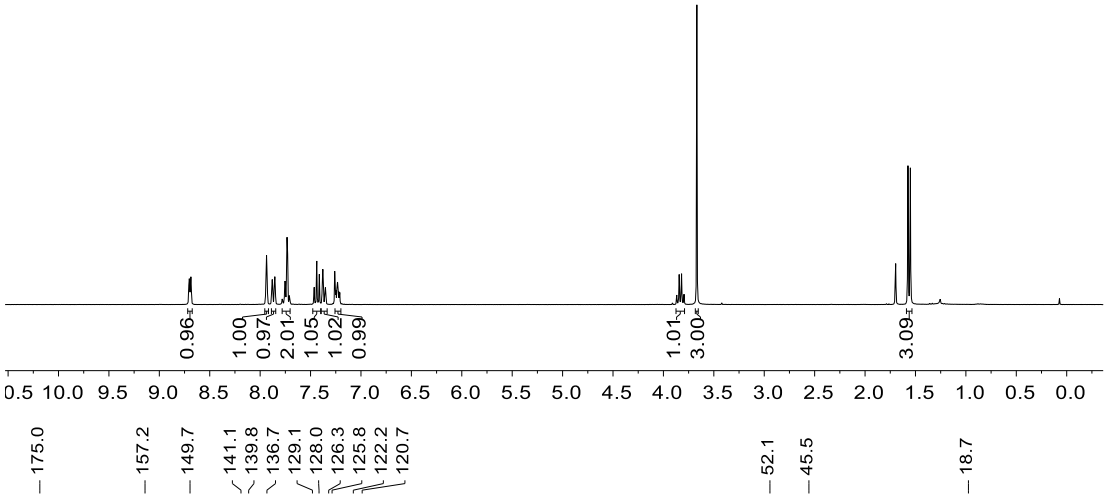
References

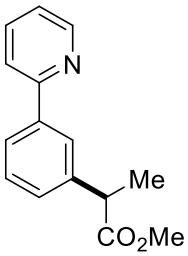
1. (a) M. E. Hoerrner, K. M. Baker, C. H. Basch, E. M. Bampo and M. P. Watson, *Org. Lett.*, 2019, **21**, 7356-7360; (b) Z.-F. Zhu, J.-L. Tu and F. Liu, *Chem. Commun.*, 2019, **55**, 11478-11481.
2. I. Choi, V. Muller, Y. Wang, K. Xue, R. Kuniyil, L. B. Andreas, V. Karius, J. G. Alauzun and L. Ackermann, *Chem. Eur. J.*, 2020, **26**, 15290-15297.
3. G. Li, D. Li, J. Zhang, D.-Q. Shi and Y. Zhao, *ACS Catal.*, 2017, **7**, 4138-4143.

^{1}H, ^{13}C and ^{19}F NMR Spectra

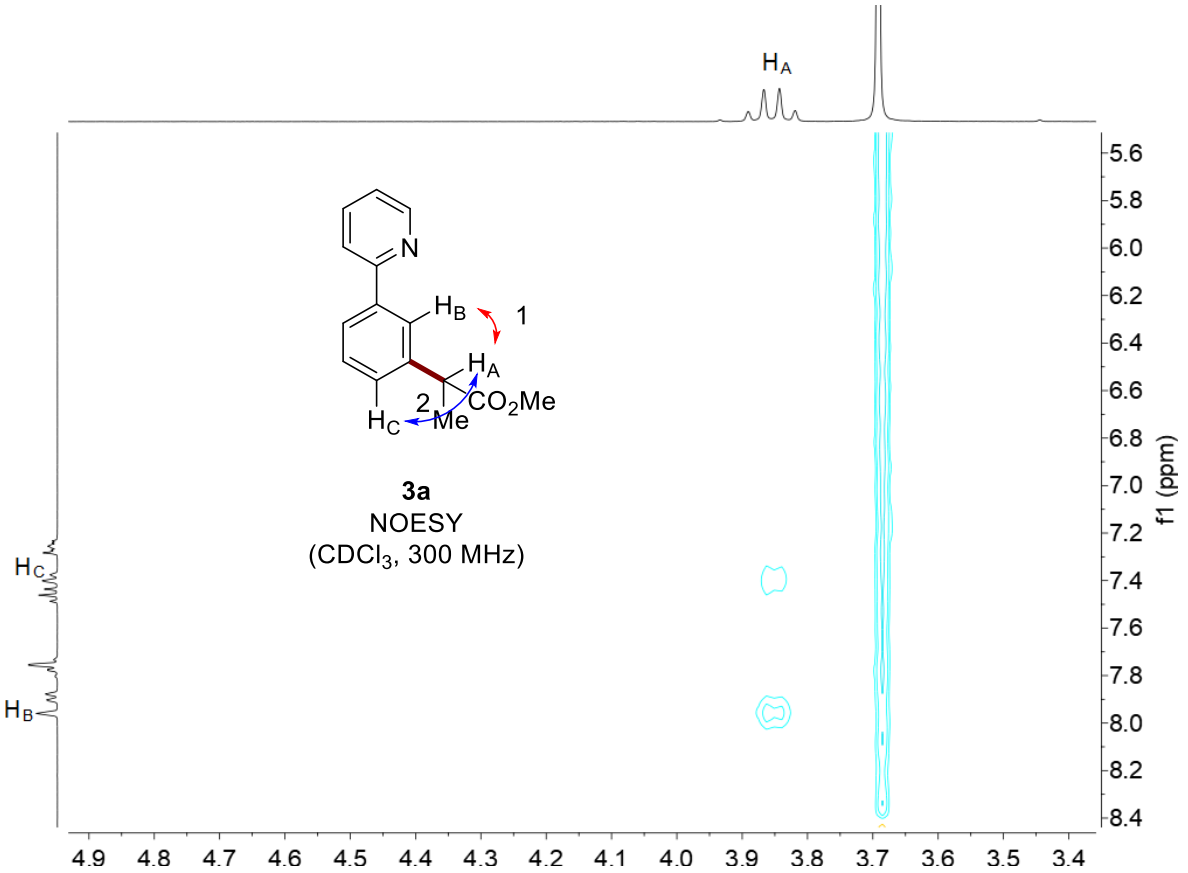


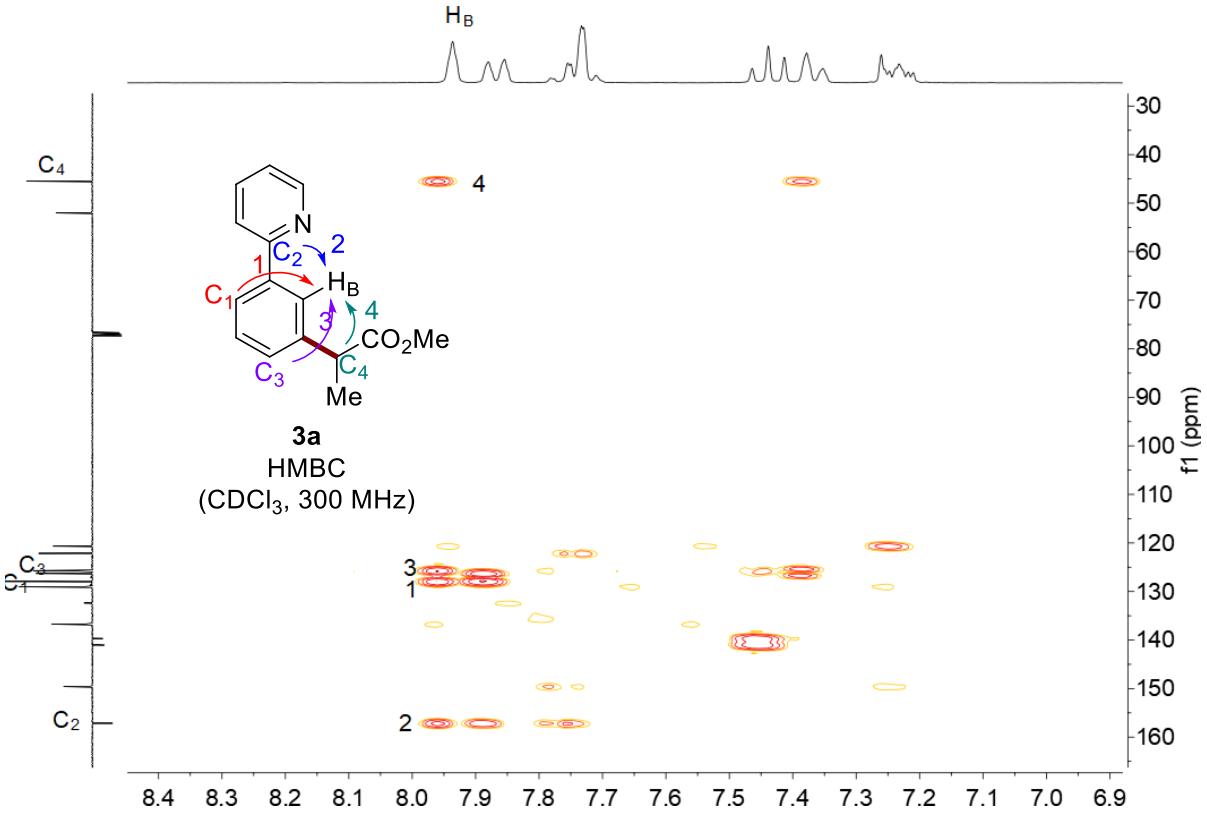
3a
($CDCl_3$, 300 MHz)

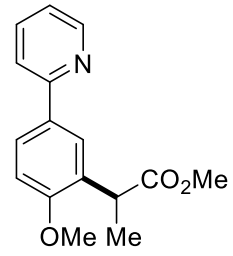




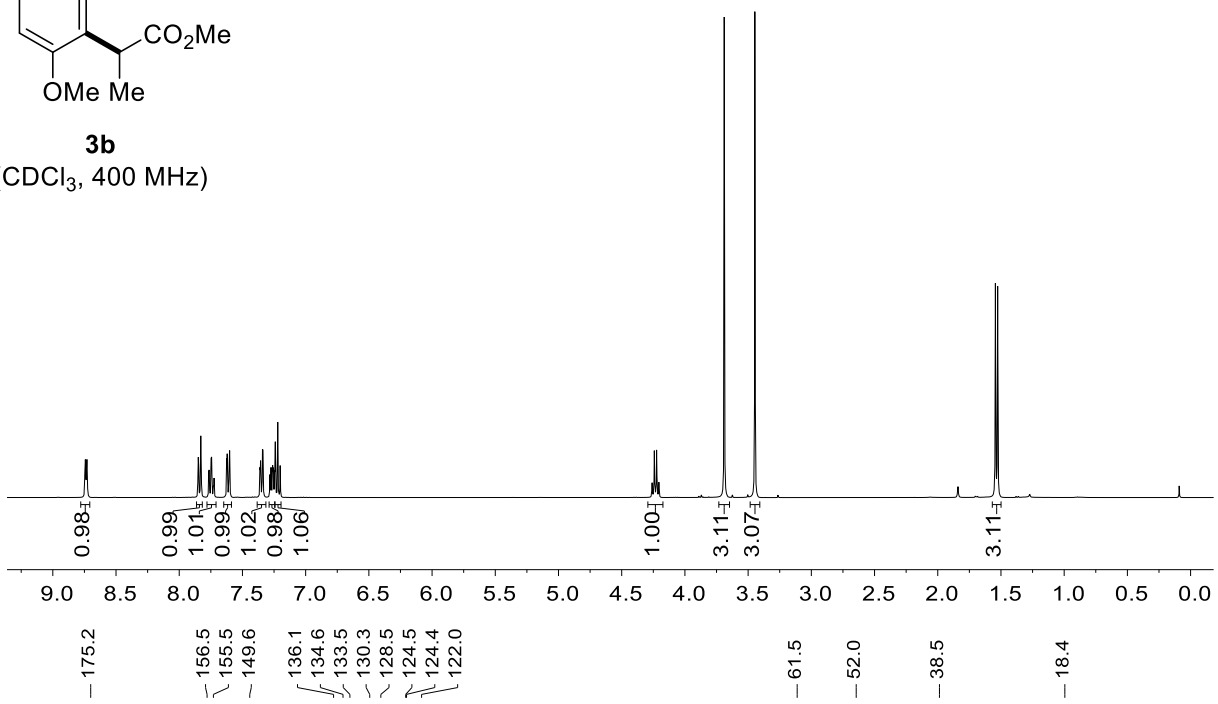
3a
($CDCl_3$, 101 MHz)

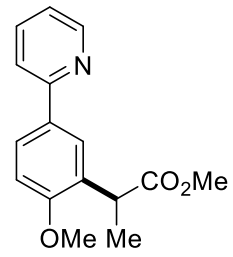

H_A
N
H_B
1
H_A
2
CO2Me
H_C
Me
3a
NOESY
(CDCl3, 300 MHz)
H_C
H_B
f1 (ppm)
4.9 4.8 4.7 4.6 4.5 4.4 4.3 4.2 4.1 4.0 3.9 3.8 3.7 3.6 3.5 3.4
5.6 5.8 6.0 6.2 6.4 6.6 6.8 7.0 7.2 7.4 7.6 7.8 8.0 8.2 8.4


H_B
C4
N
C2
2
1
C1
H_B
3
4
CO2Me
C3
C4
Me
4
3
1
2
C3
C1
C2
3a
HMBC
(CDCl3, 300 MHz)
f1 (ppm)
8.4 8.3 8.2 8.1 8.0 7.9 7.8 7.7 7.6 7.5 7.4 7.3 7.2 7.1 7.0 6.9
30 40 50 60 70 80 90 100 110 120 130 140 150 160

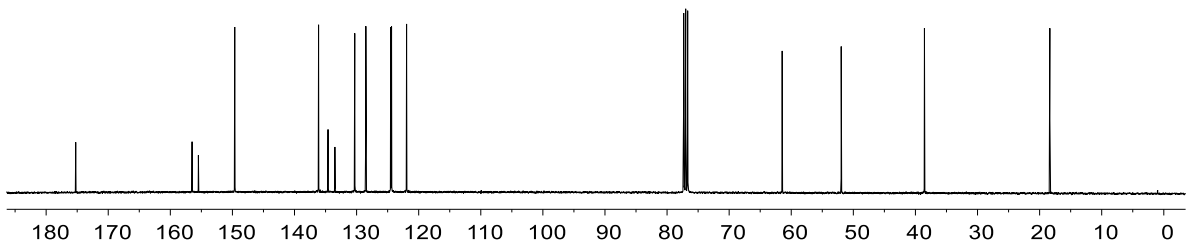


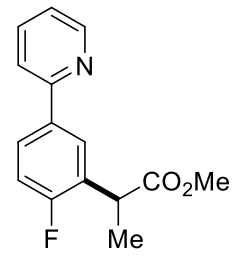
3b
($CDCl_3$, 400 MHz)





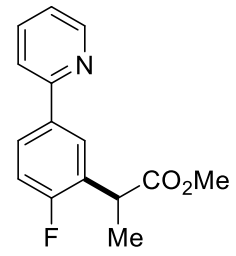
3b
($CDCl_3$, 101 MHz)





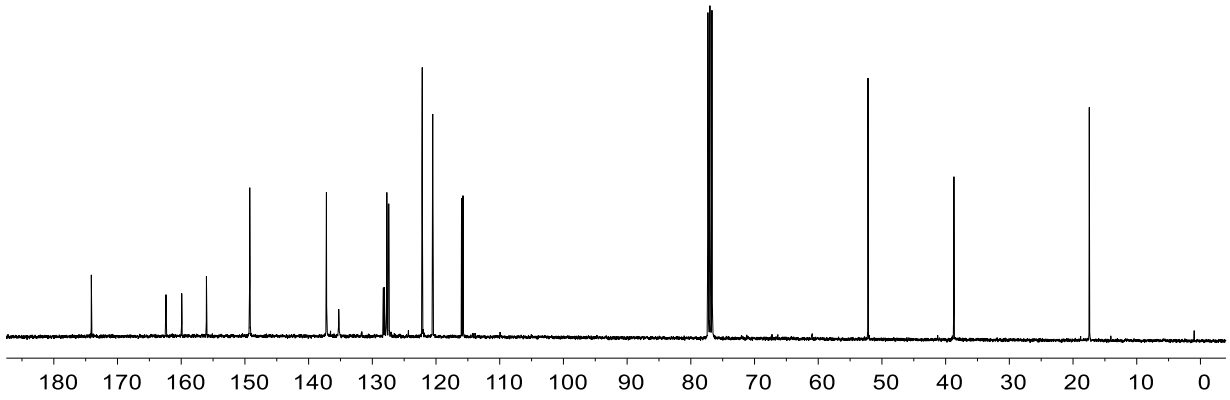
3c

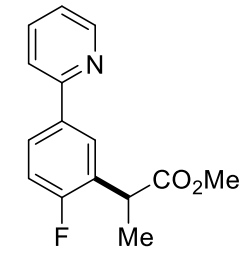
($CDCl_3$, 300 MHz)



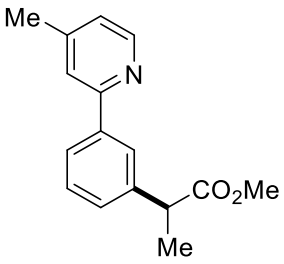
3c

($CDCl_3$, 101 MHz)

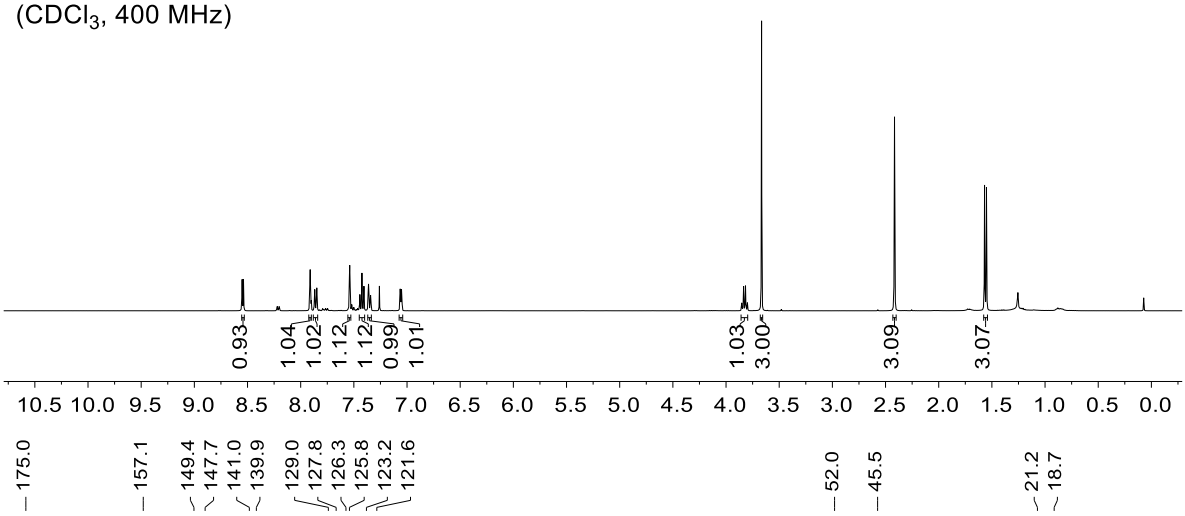


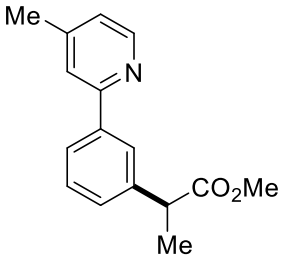


3c
($CDCl_3$, 377 MHz)

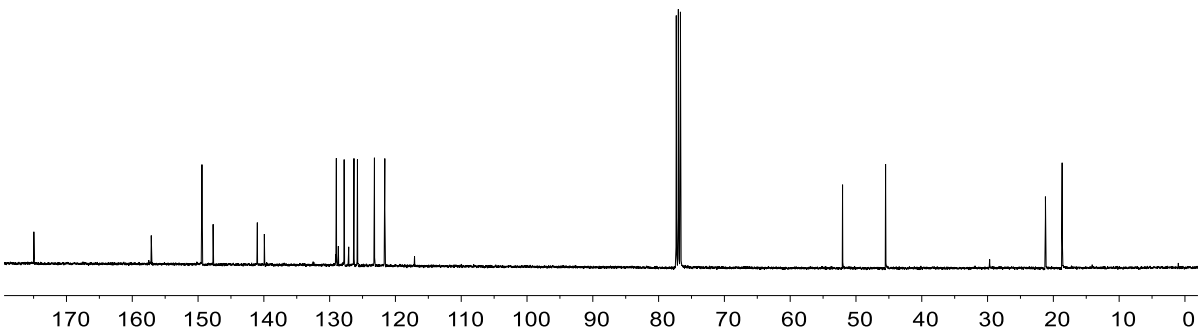


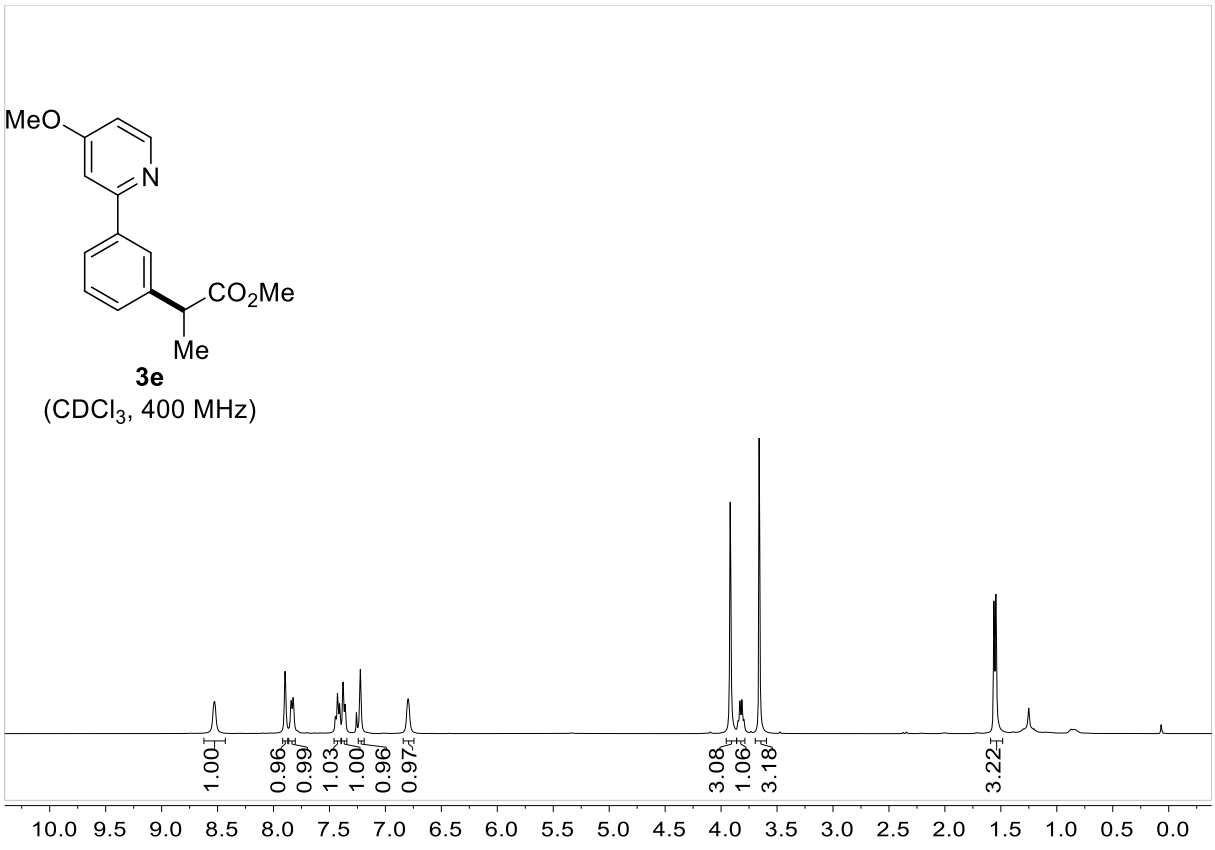
3d
($CDCl_3$, 400 MHz)

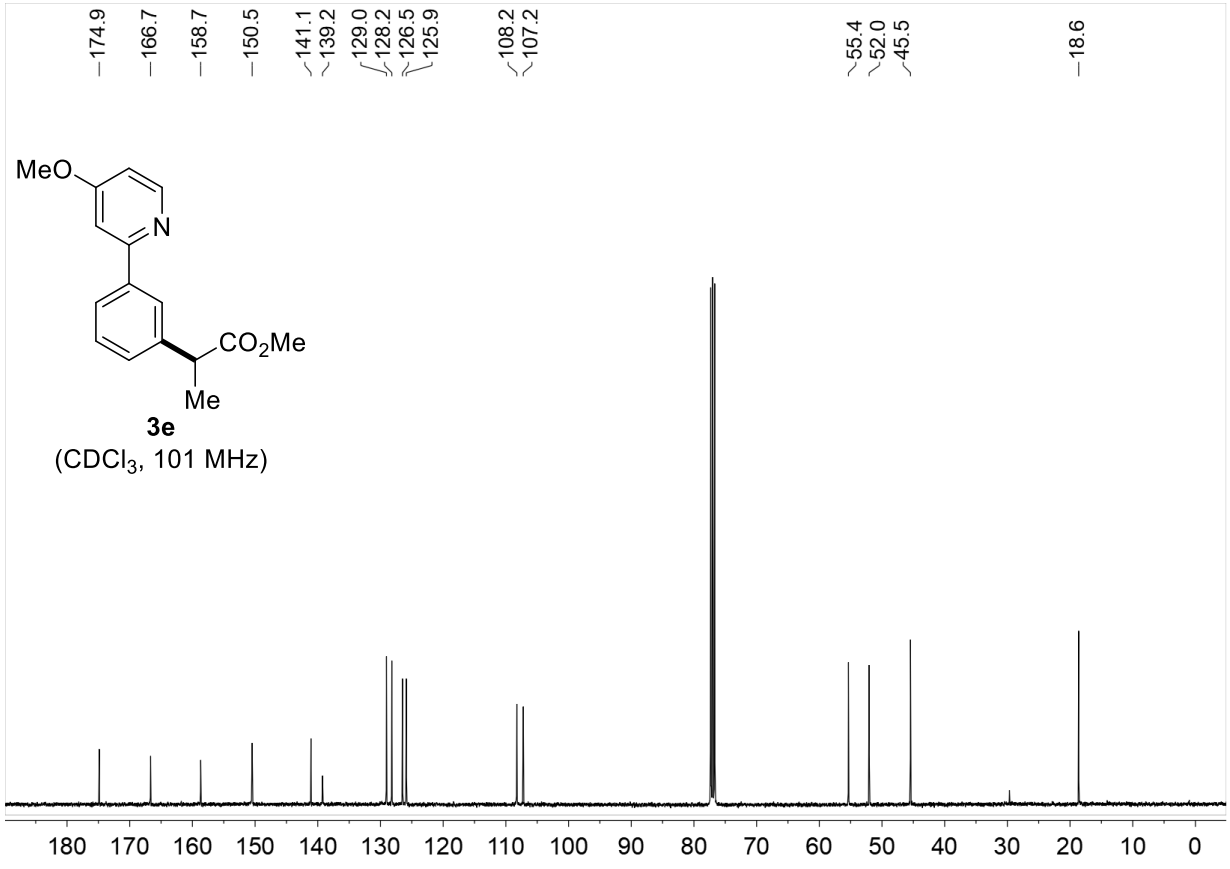


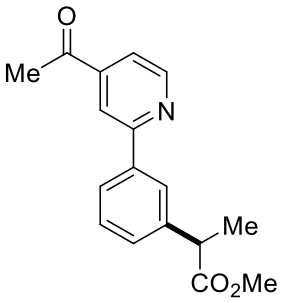


3d
($CDCl_3$, 100 MHz)

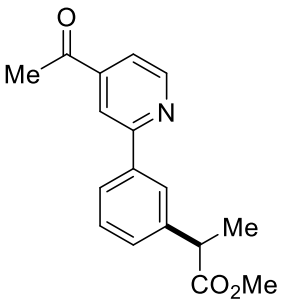



MeO
N
CO2Me
Me
3e
(CDCl3, 400 MHz)
1.00
0.96
0.99
1.03
1.00
0.96
0.97
3.08
1.06
3.18
3.22
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0

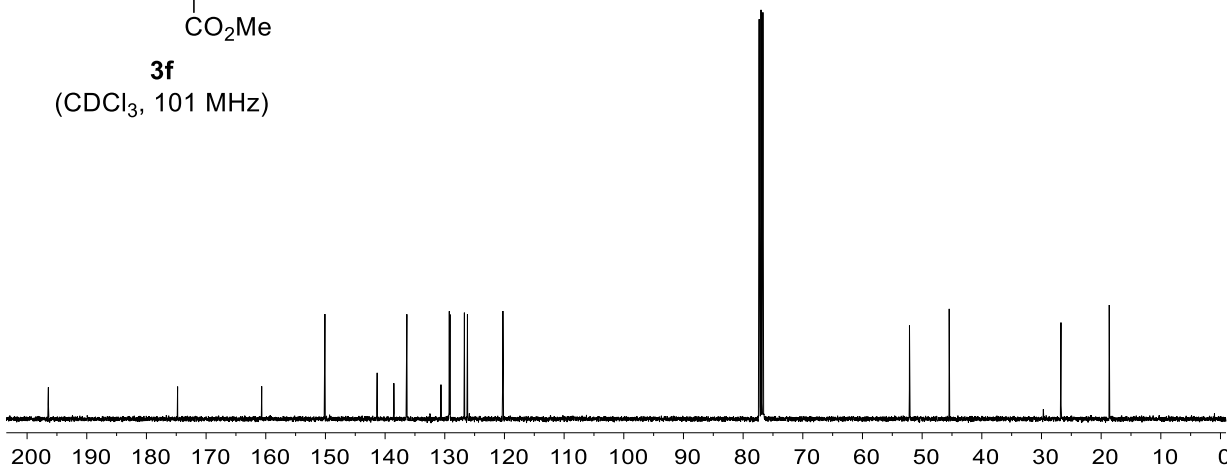

174.9
166.7
158.7
150.5
141.1
139.2
129.0
128.2
126.5
125.9
108.2
107.2
55.4
52.0
45.5
18.6
MeO
N
CO2Me
Me
3e
(CDCl3, 101 MHz)
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

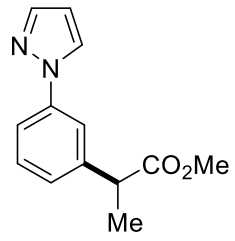


3f
($CDCl_3$, 400 MHz)

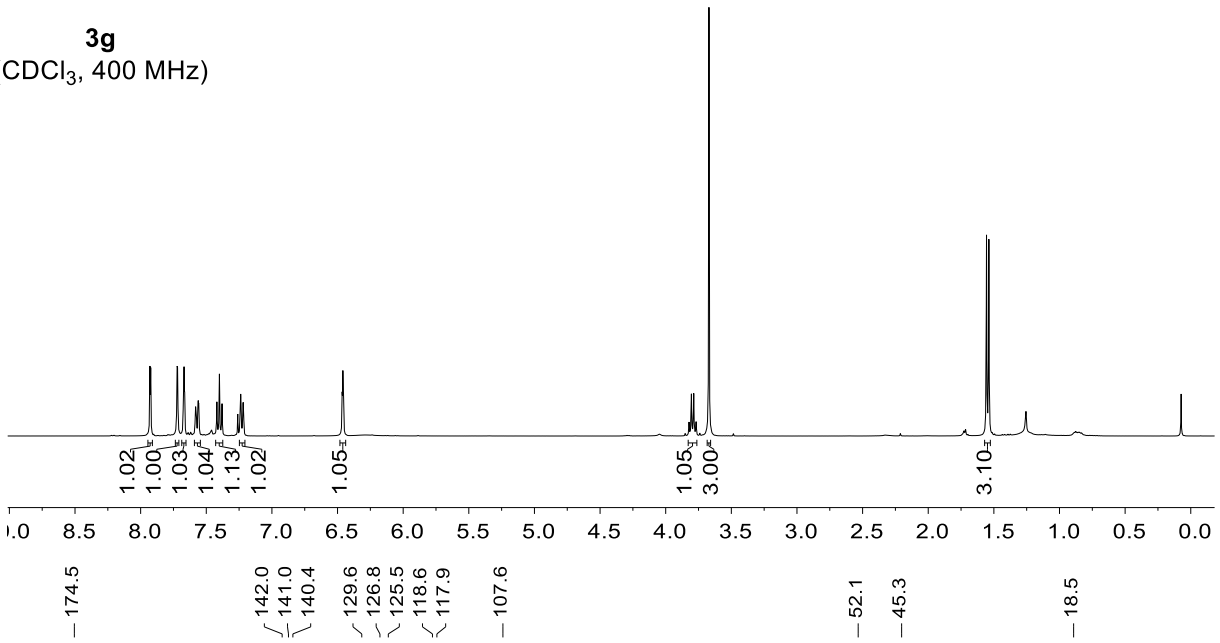


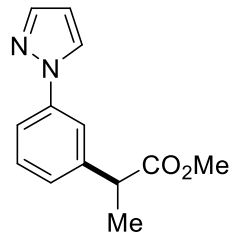
3f
($CDCl_3$, 101 MHz)



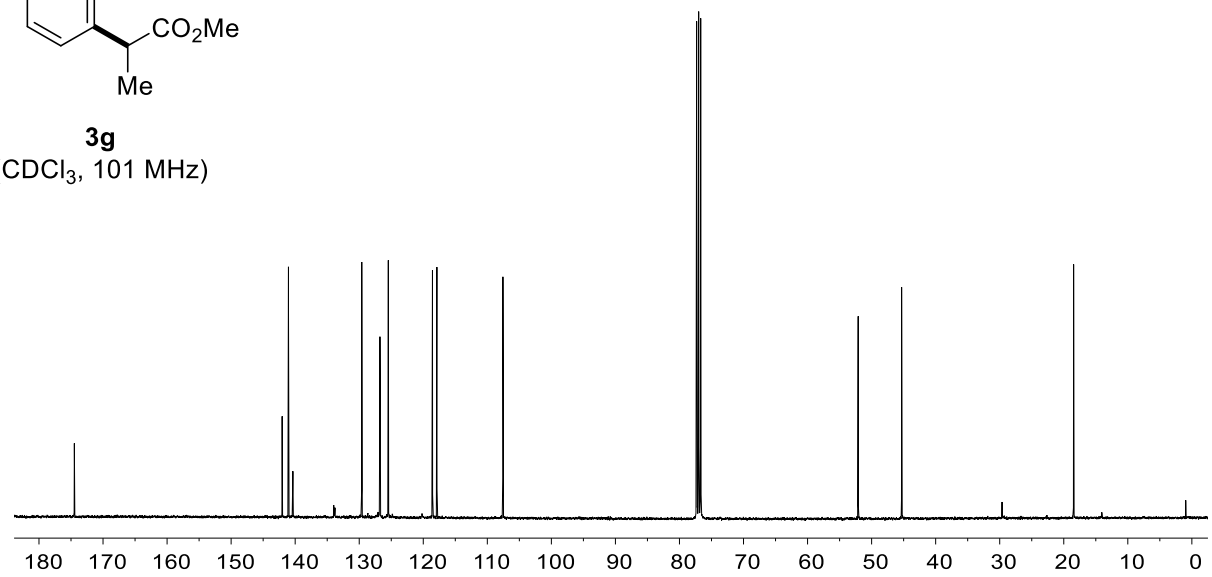


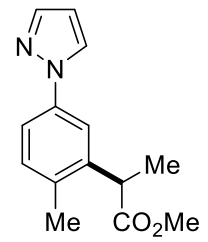
3g
($CDCl_3$, 400 MHz)



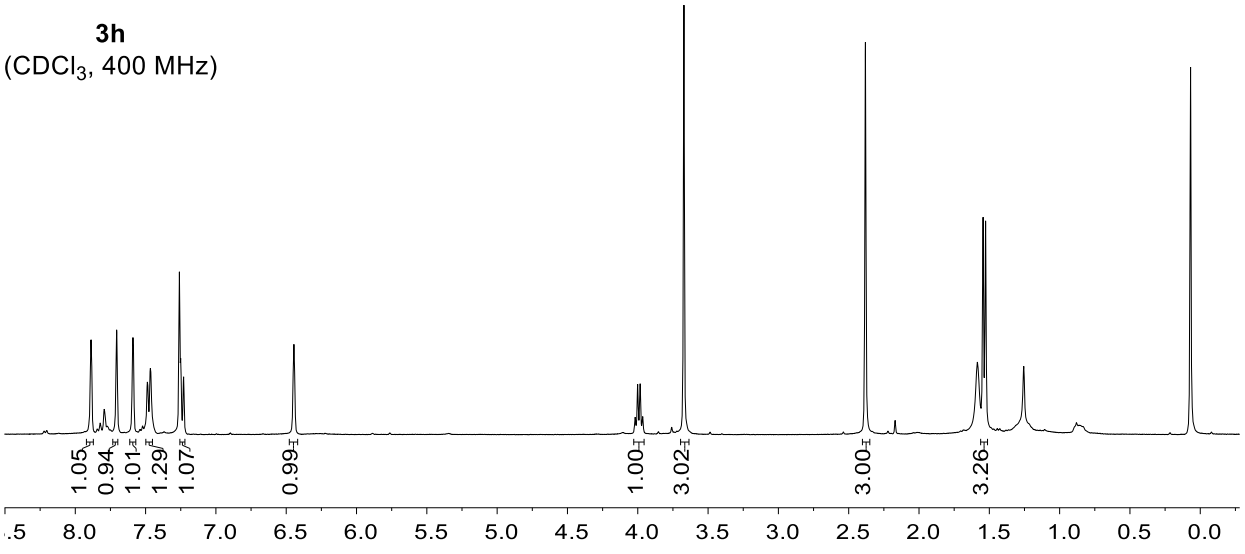


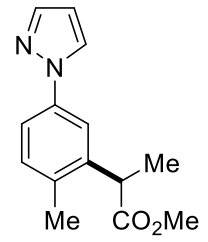
3g
($CDCl_3$, 101 MHz)



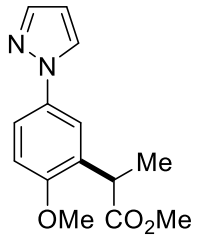


3h
($CDCl_3$, 400 MHz)

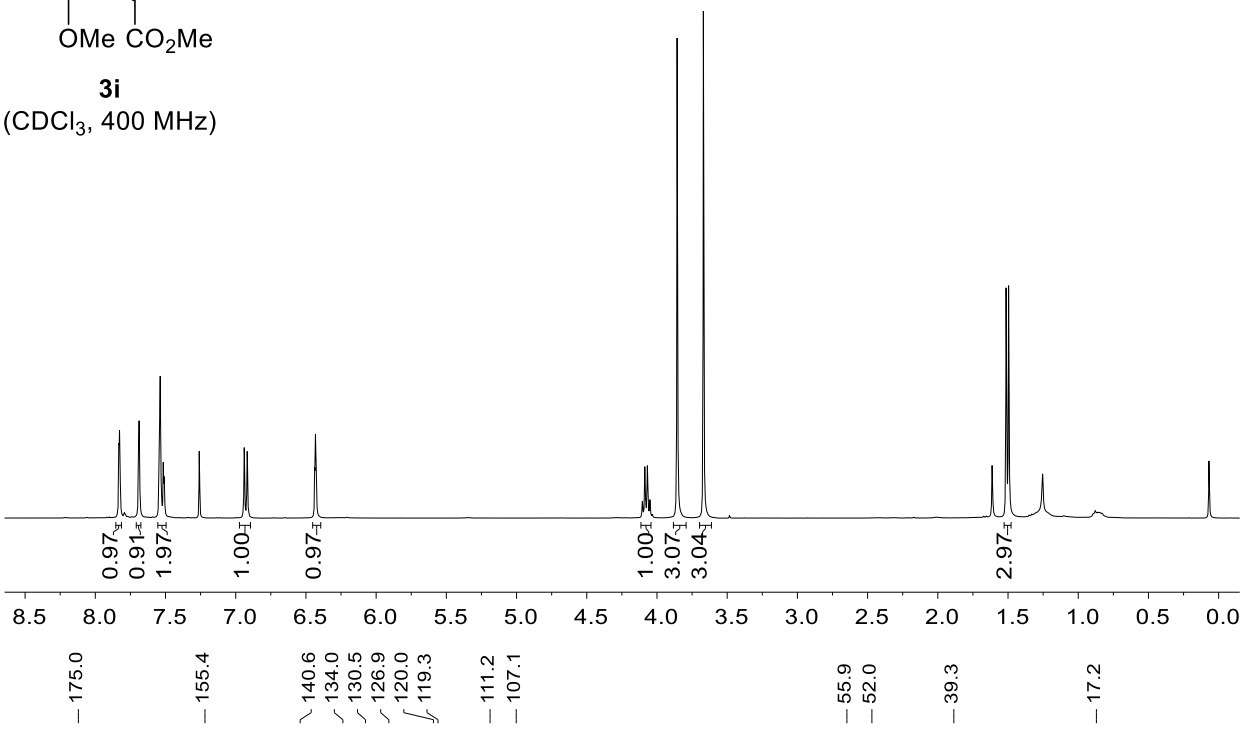


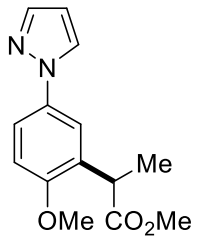


3h
($CDCl_3$, 101 MHz)

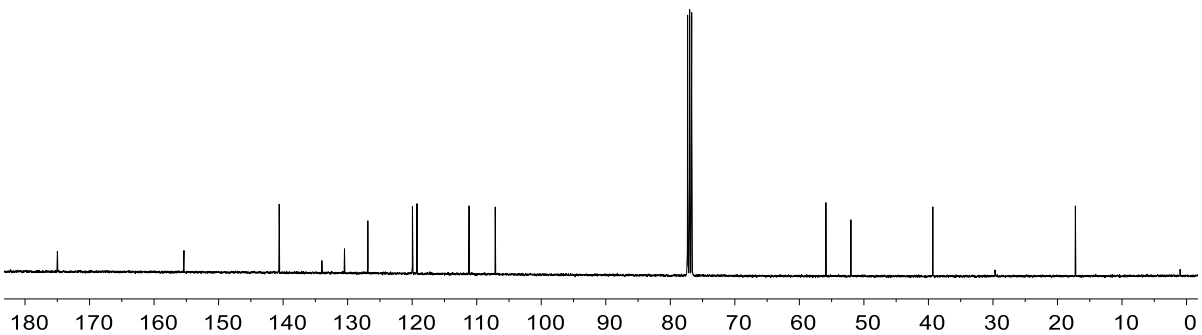


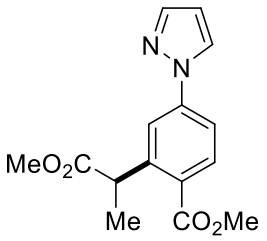
3i
($CDCl_3$, 400 MHz)



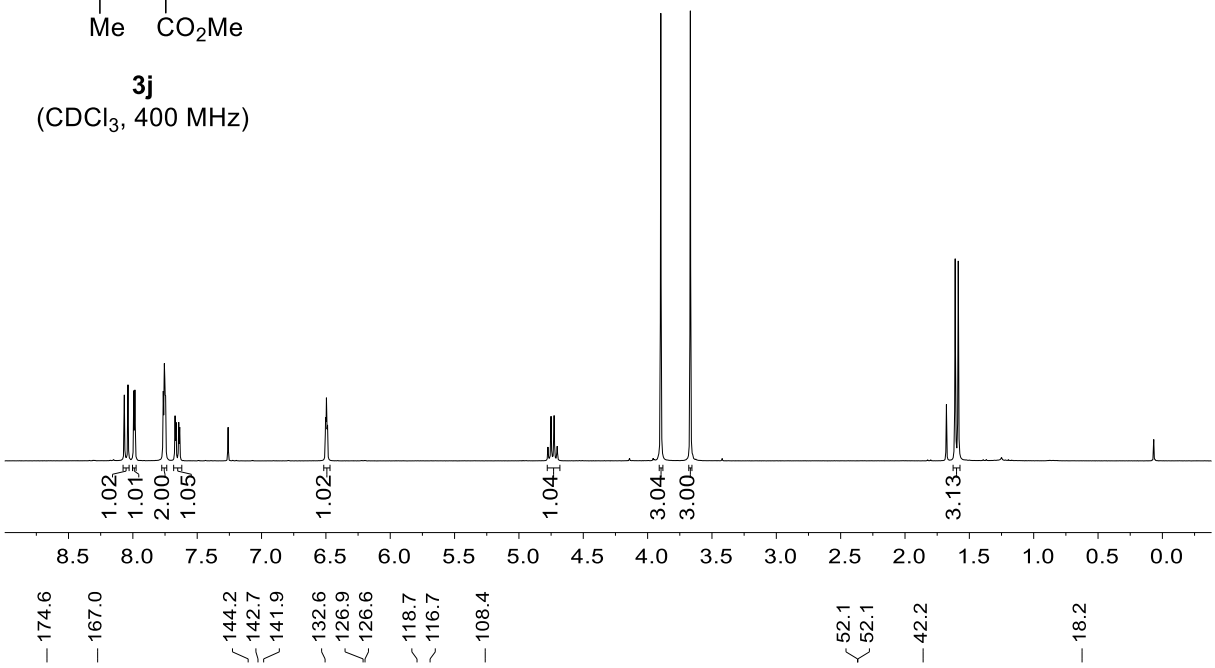


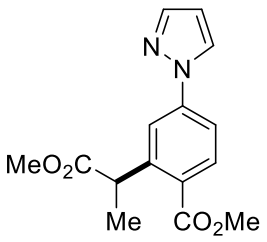
3i
($CDCl_3$, 101 MHz)



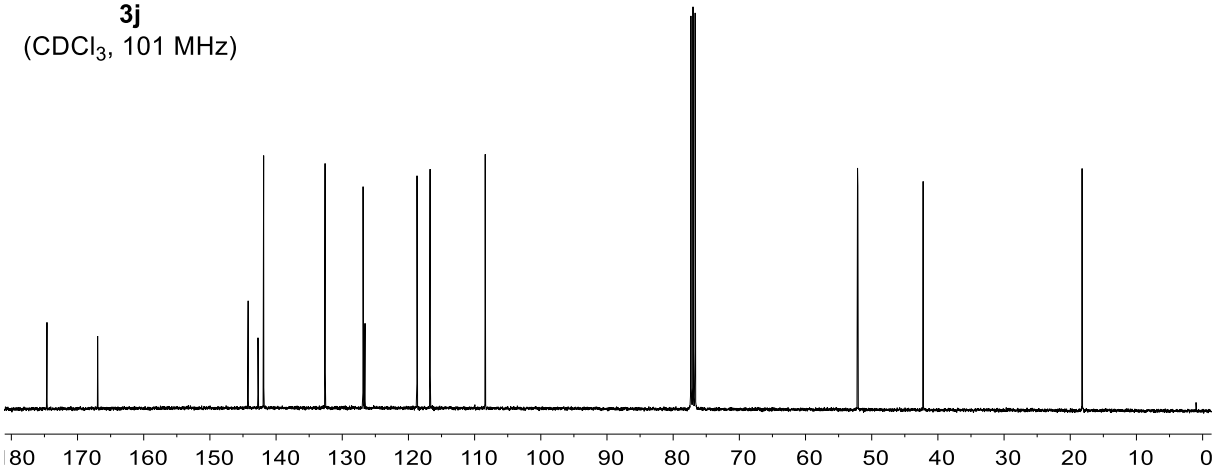


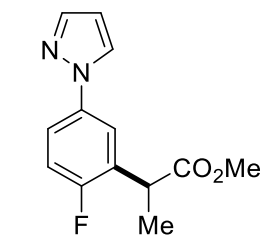
3j
($CDCl_3$, 400 MHz)



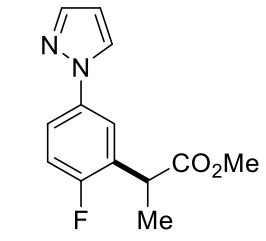


3j
($CDCl_3$, 101 MHz)

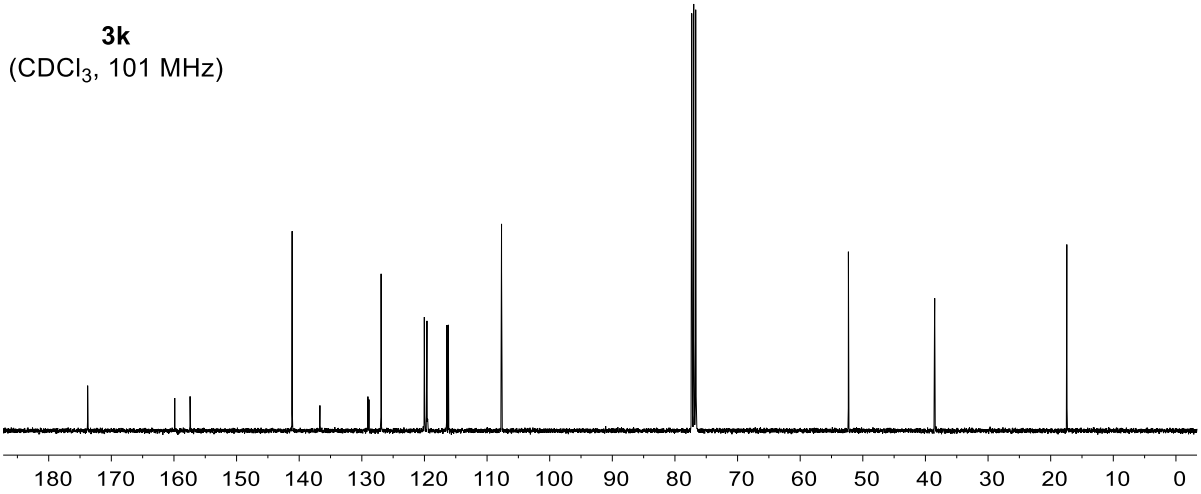


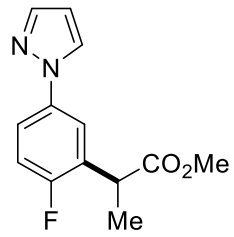


3k
($CDCl_3$, 300 MHz)

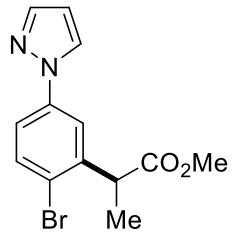


3k
($CDCl_3$, 101 MHz)

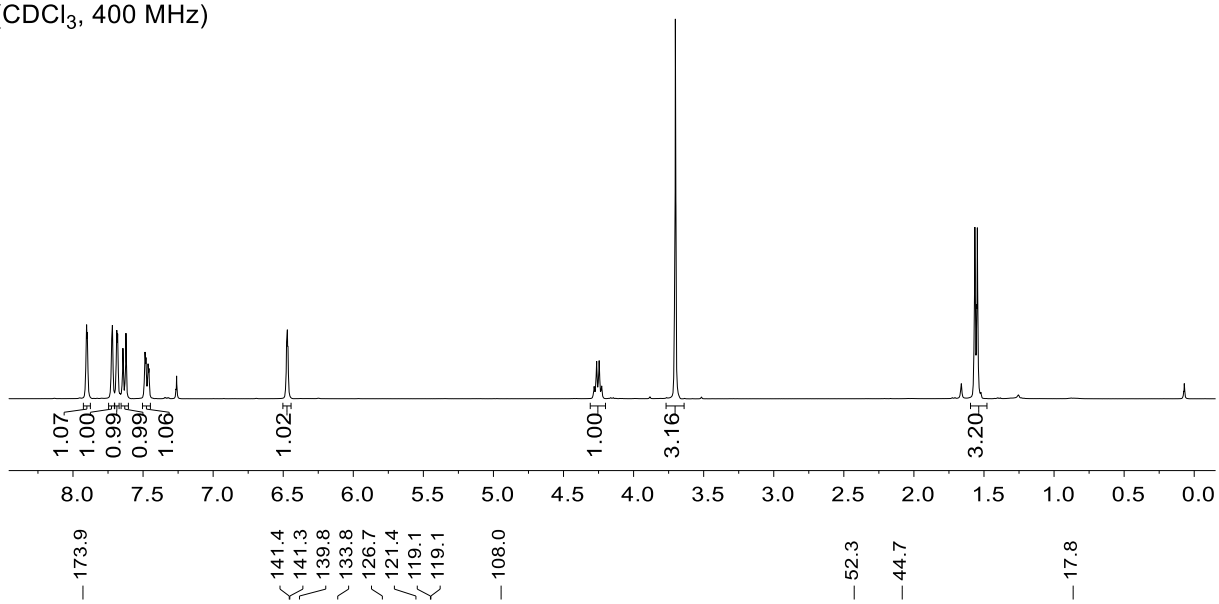


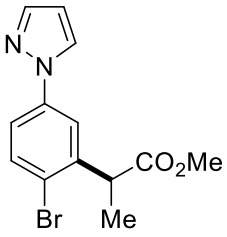


3k
($CDCl_3$, 377 MHz)

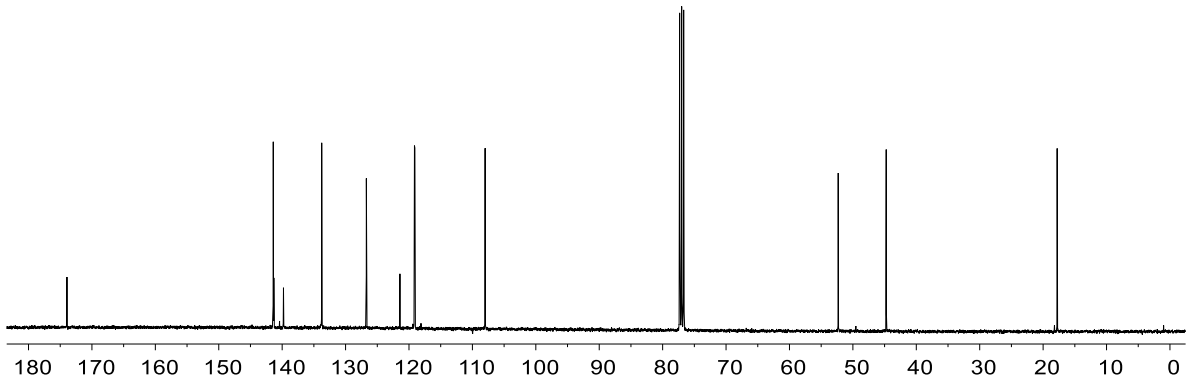


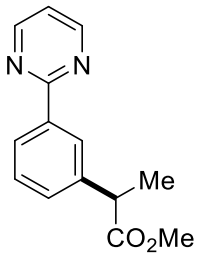
3l
($CDCl_3$, 400 MHz)



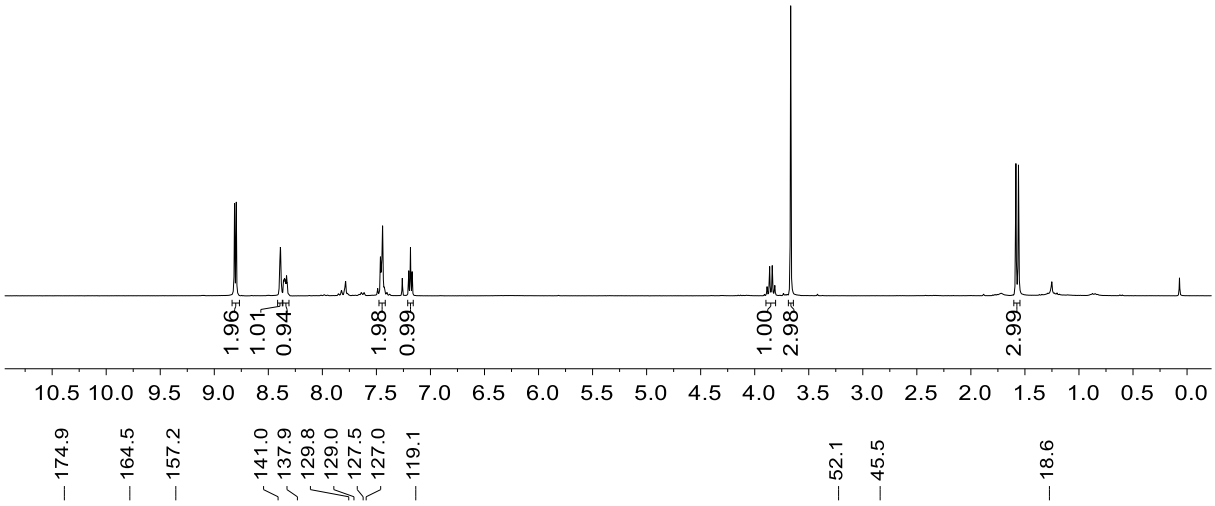


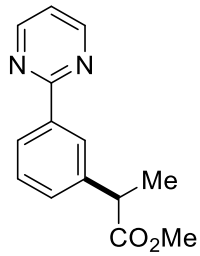
3l
($CDCl_3$, 101 MHz)



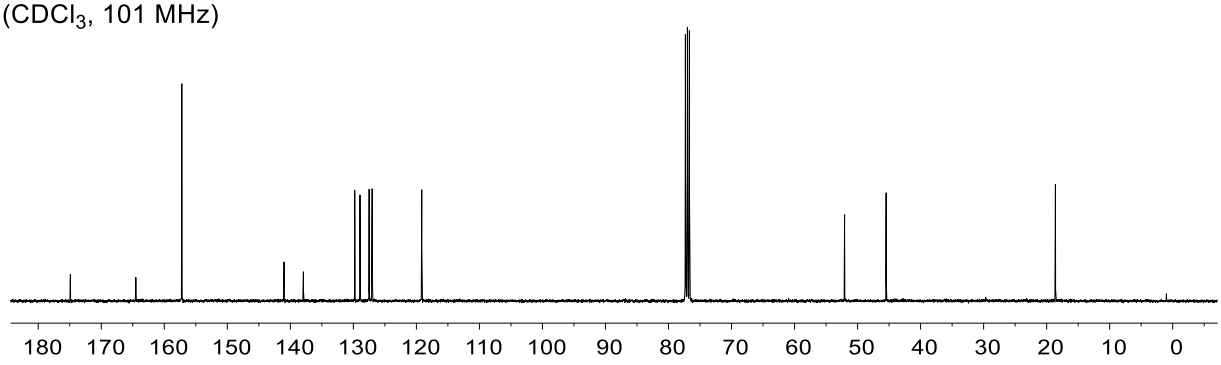


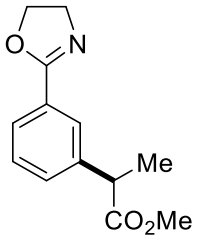
3m
($CDCl_3$, 300 MHz)



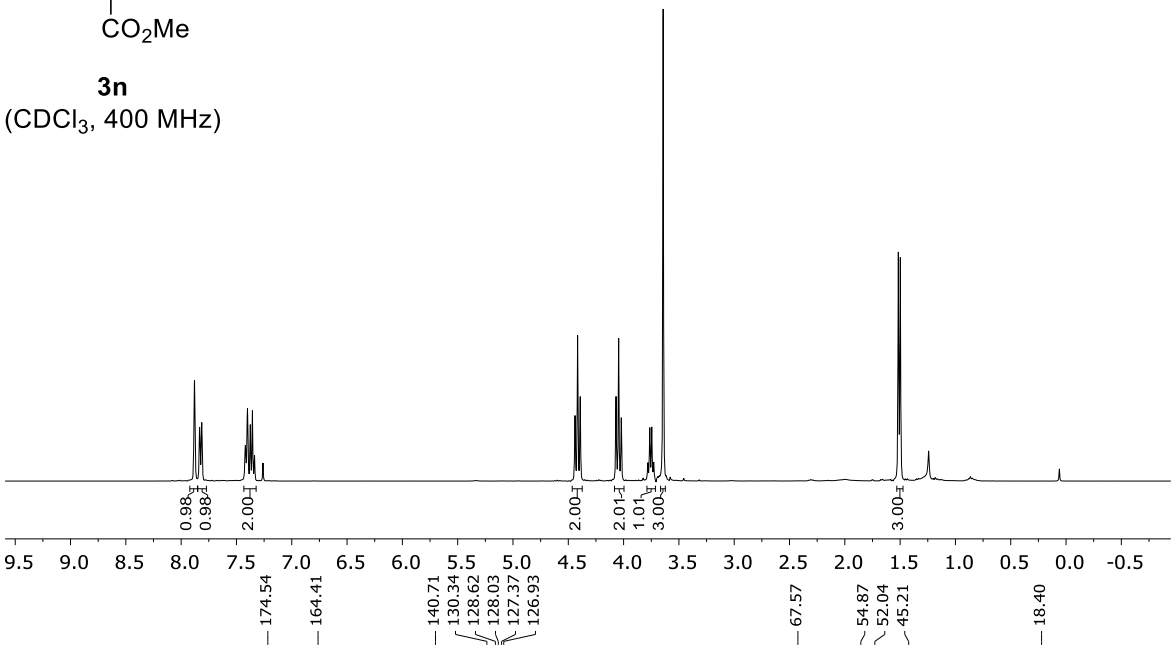


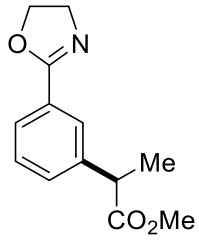
3m
($CDCl_3$, 101 MHz)



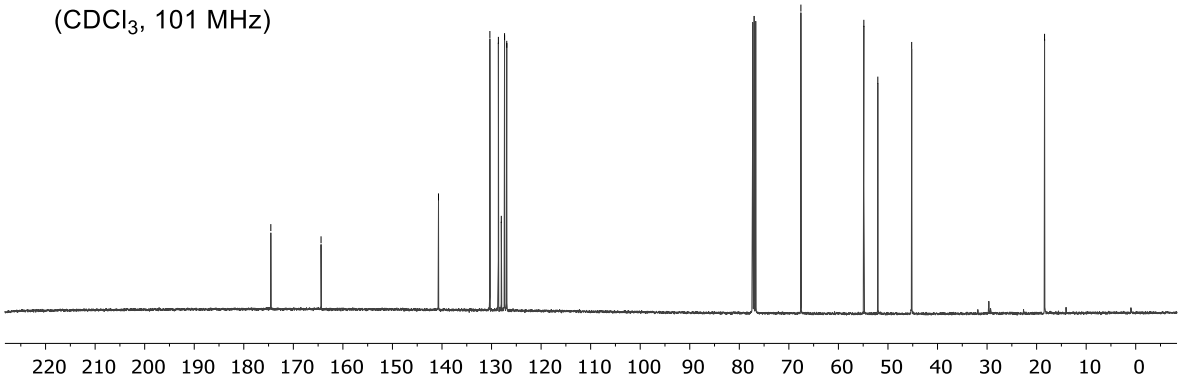


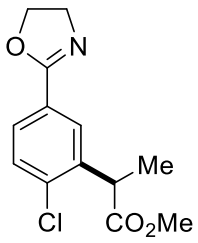
3n
($CDCl_3$, 400 MHz)



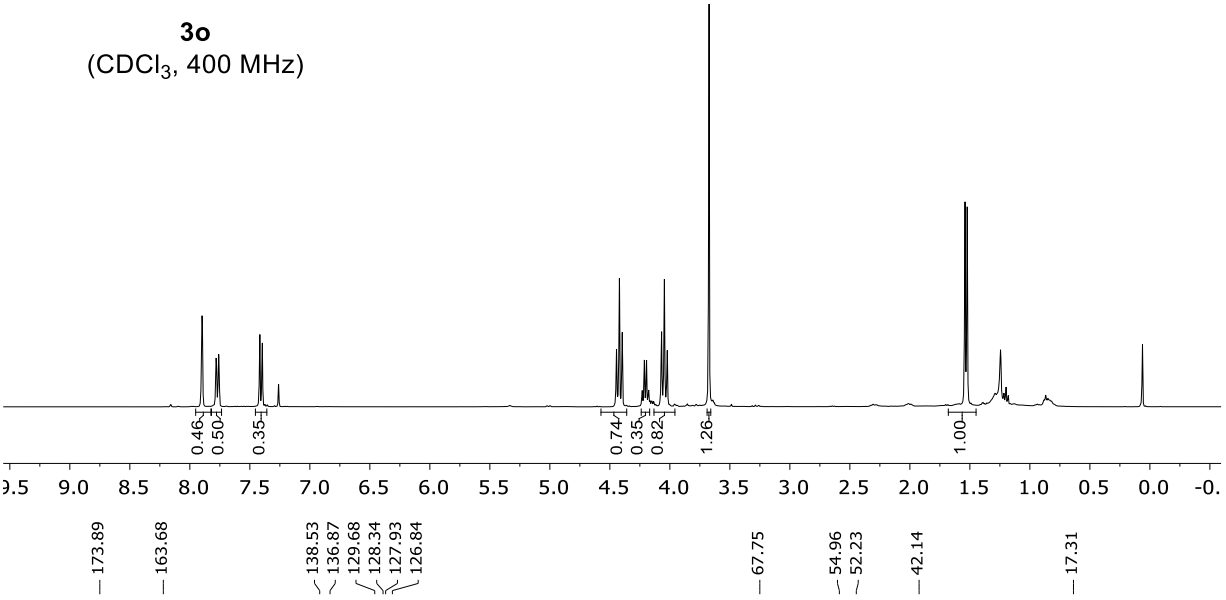


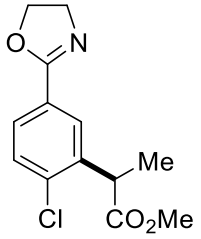
3n
($CDCl_3$, 101 MHz)



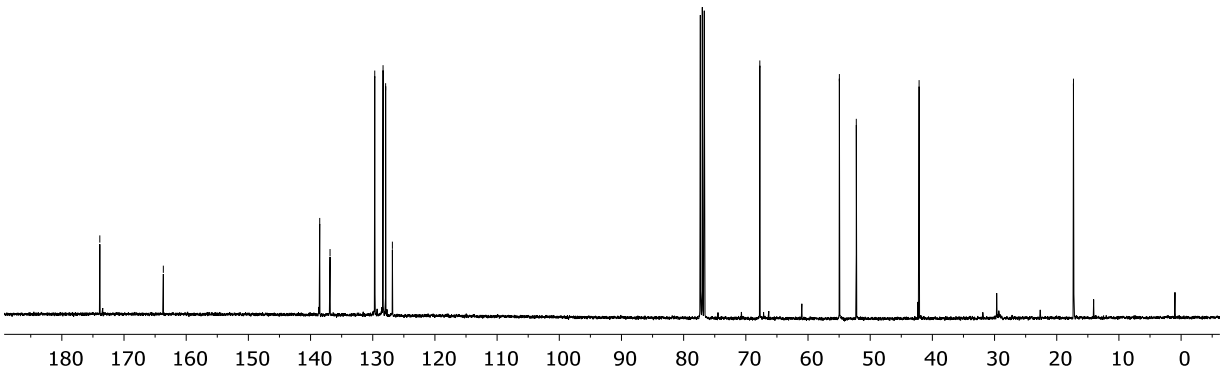


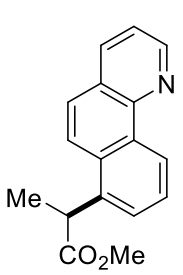
3o
($CDCl_3$, 400 MHz)



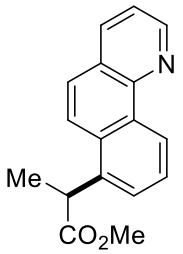


3o
($CDCl_3$, 101 MHz)

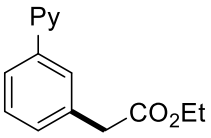




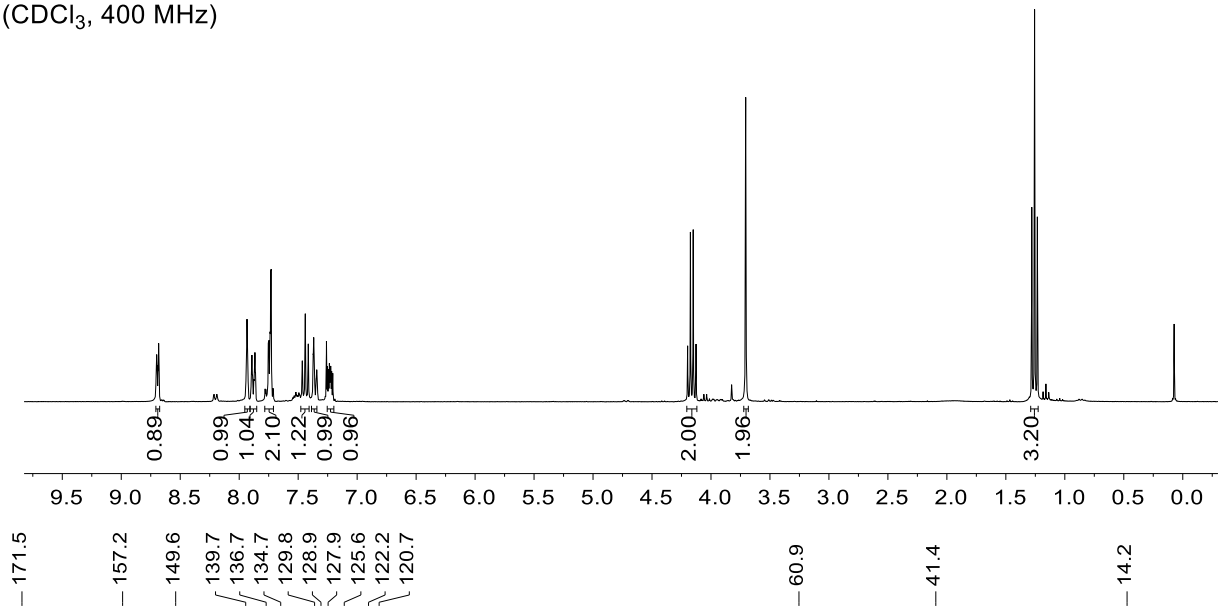
3p
($CDCl_3$, 400 MHz)

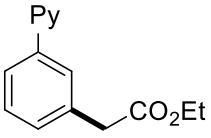


3p
($CDCl_3$, 101 MHz)

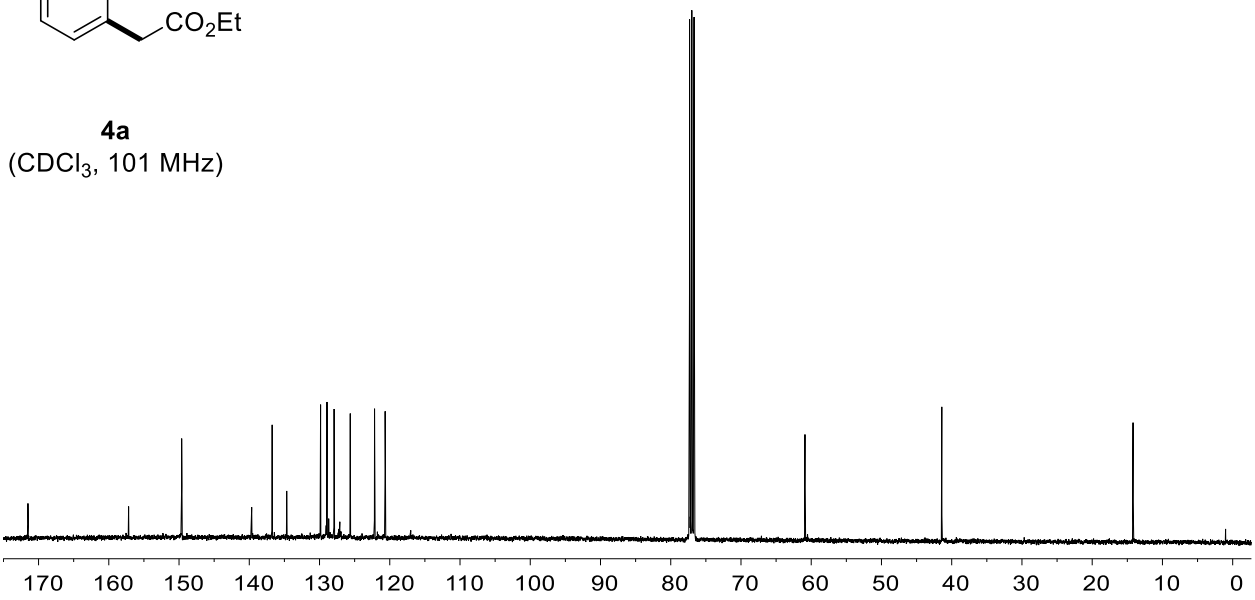


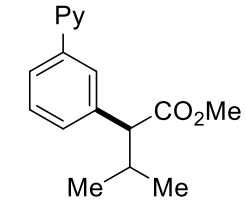
4a
($CDCl_3$, 400 MHz)





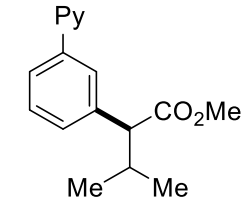
4a
($CDCl_3$, 101 MHz)





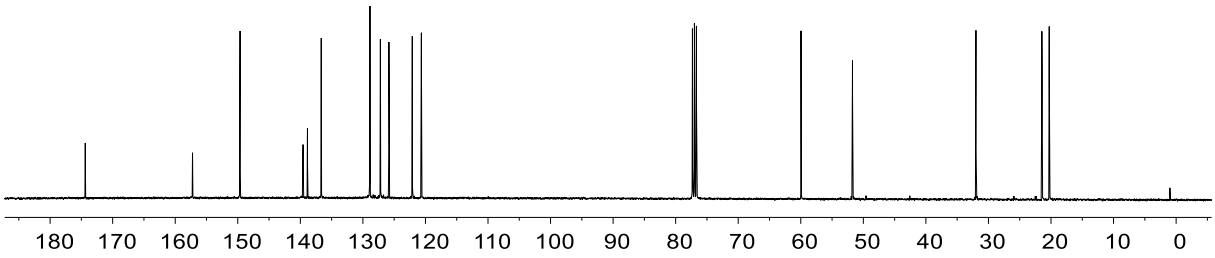
4b

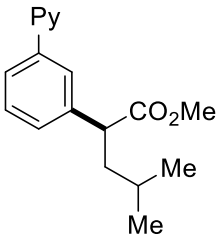
($CDCl_3$, 300 MHz)



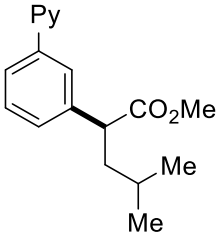
4b

($CDCl_3$, 101 MHz)

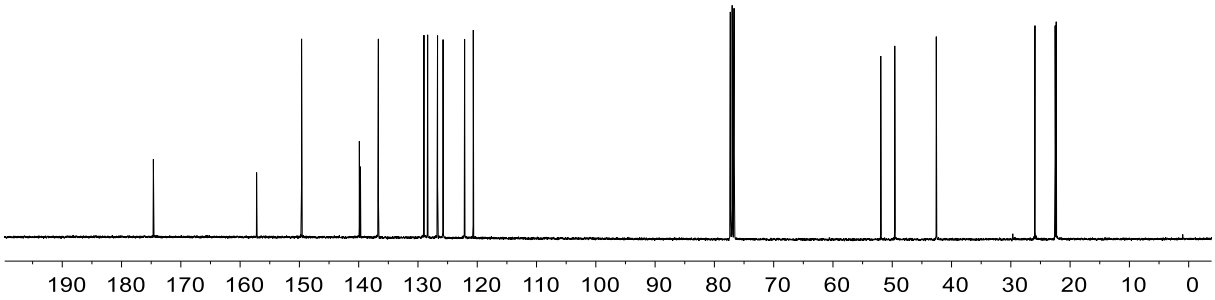


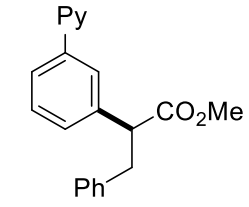


4c
($CDCl_3$, 400 MHz)



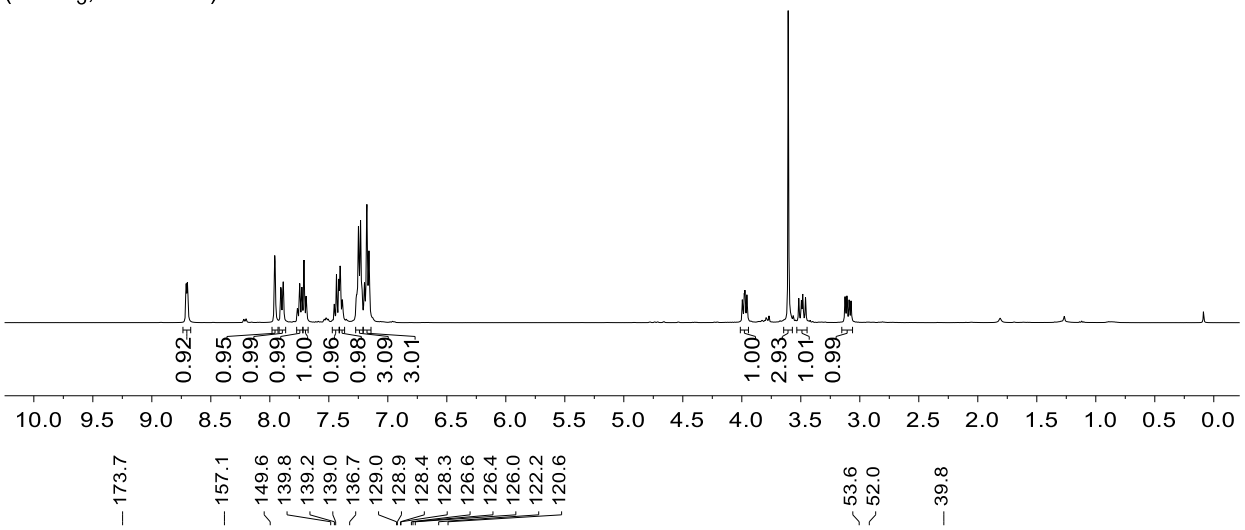
4c
($CDCl_3$, 101 MHz)

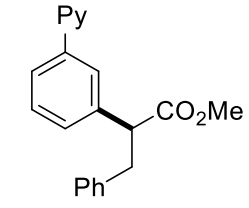




4d

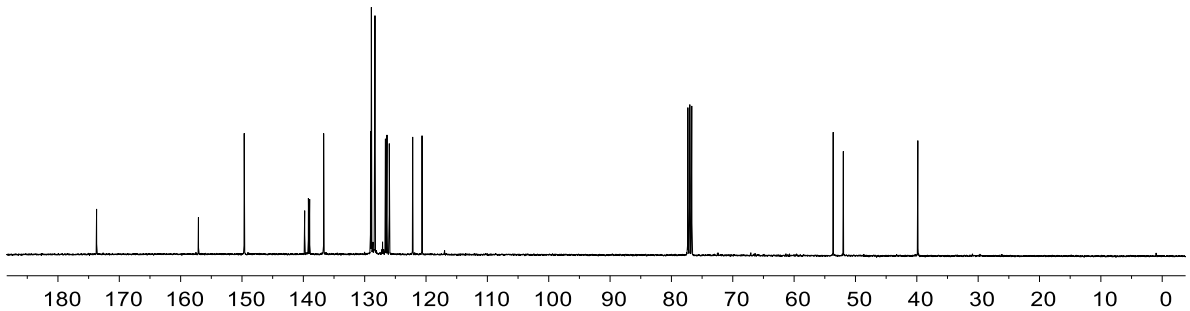
($CDCl_3$, 400 MHz)

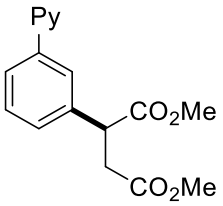




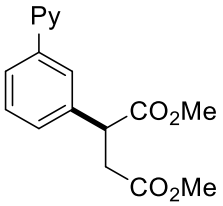
4d

($CDCl_3$, 101 MHz)

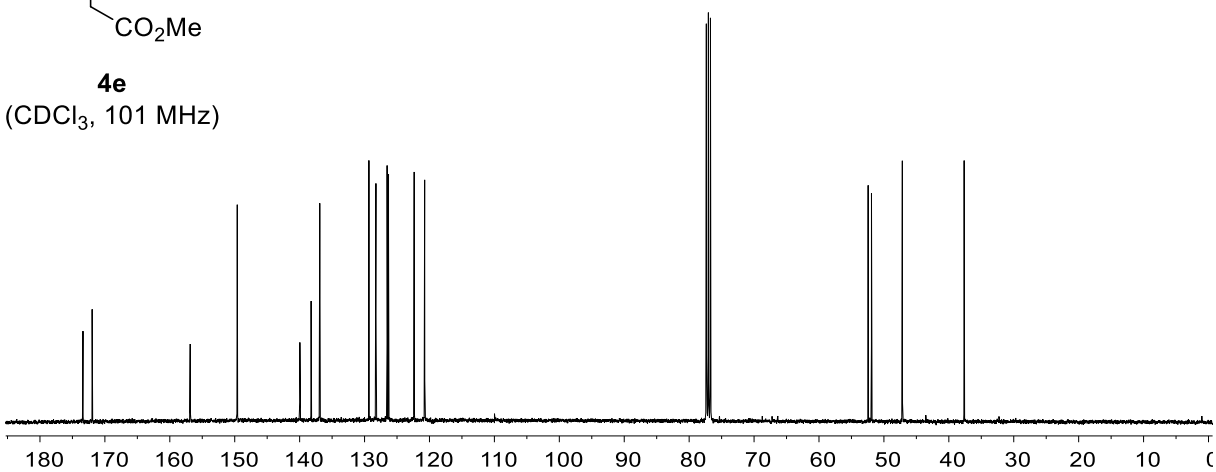


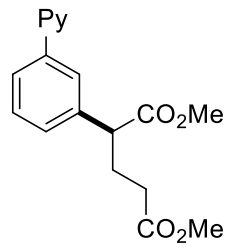


4e
($CDCl_3$, 400 MHz)

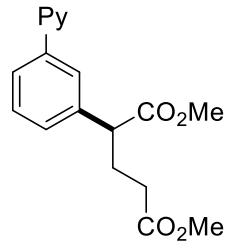


4e
($CDCl_3$, 101 MHz)

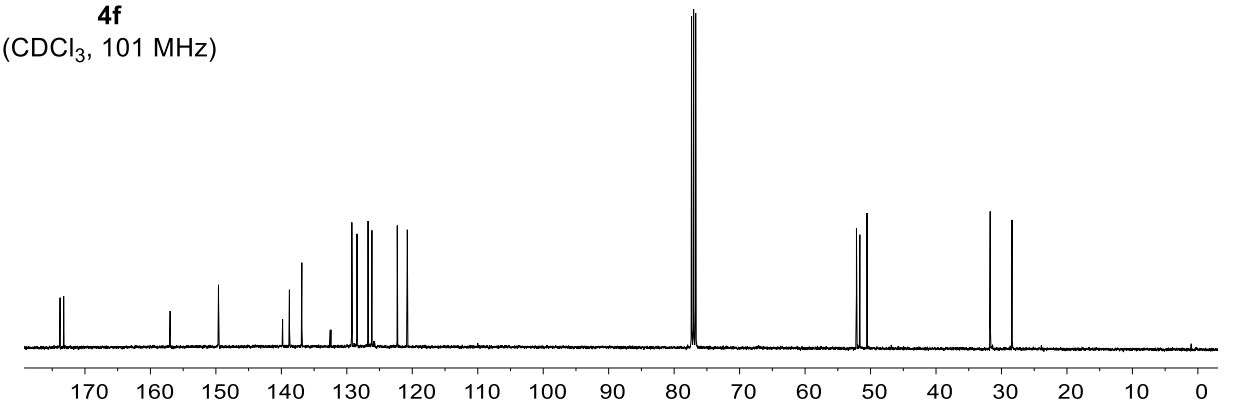


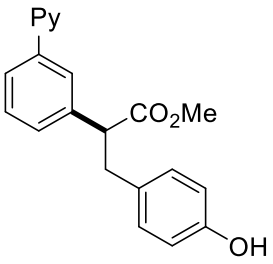


4f
($CDCl_3$, 400 MHz)

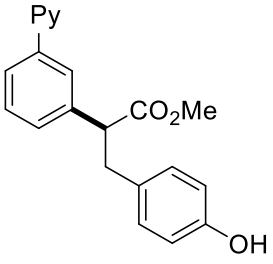


4f
($CDCl_3$, 101 MHz)

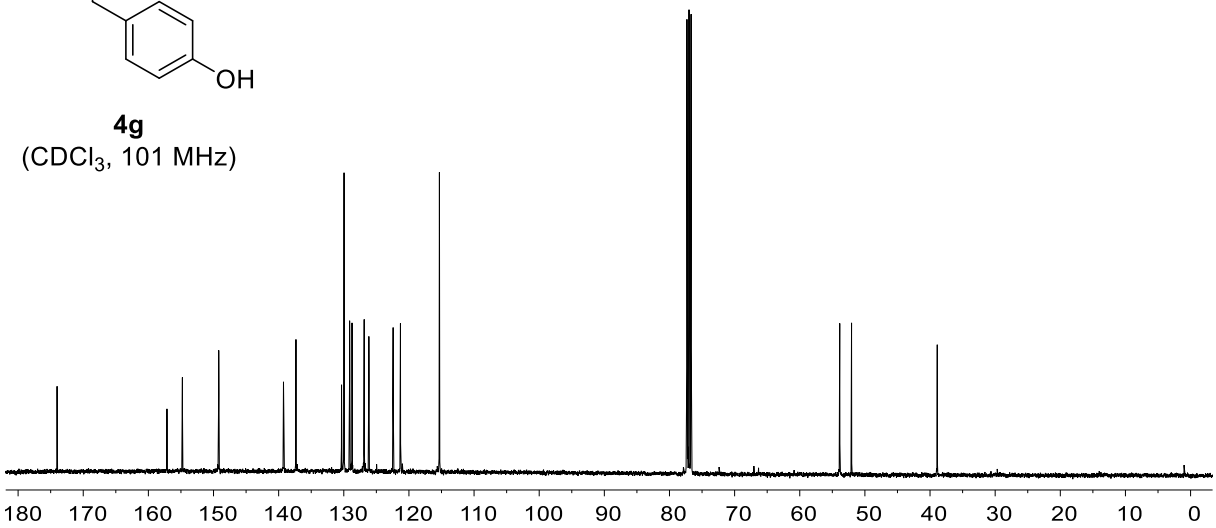


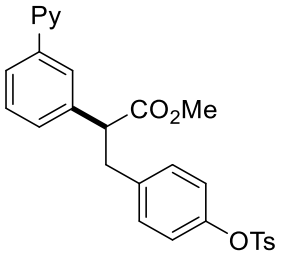


4g
($CDCl_3$, 400 MHz)

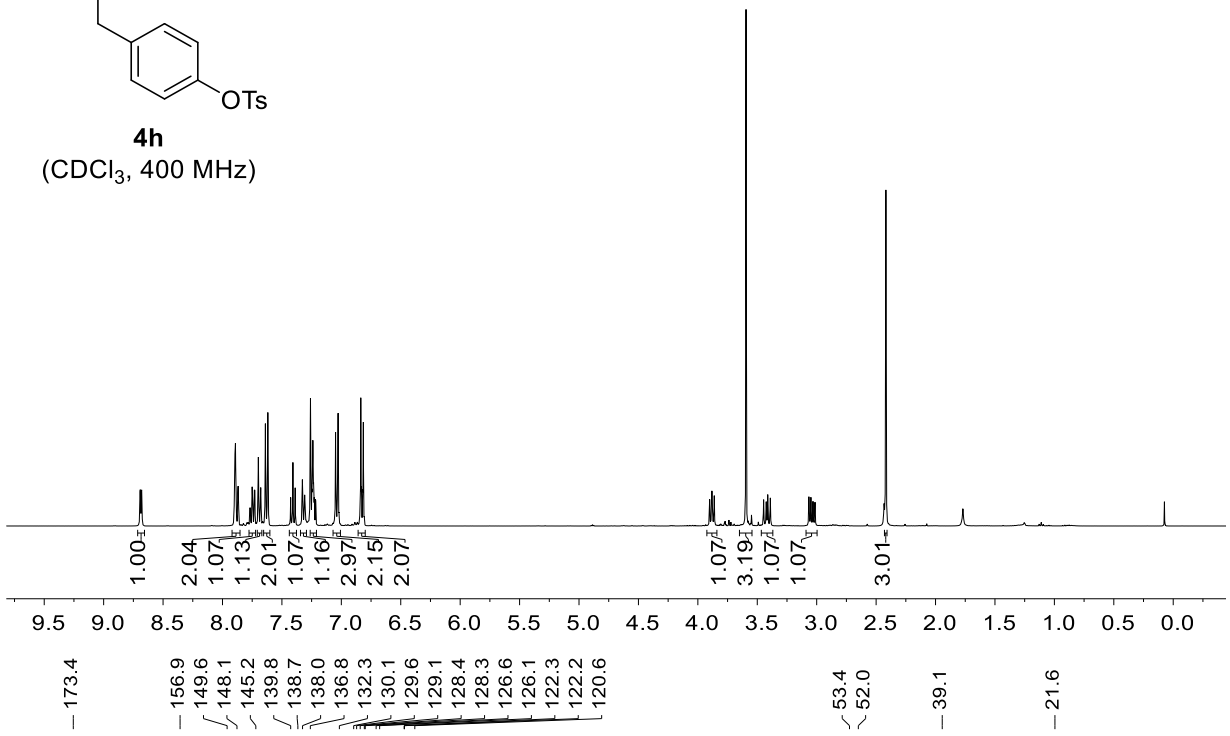


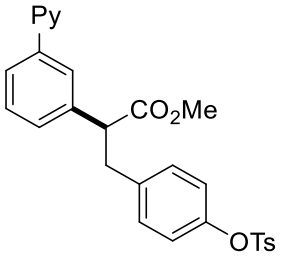
4g
($CDCl_3$, 101 MHz)



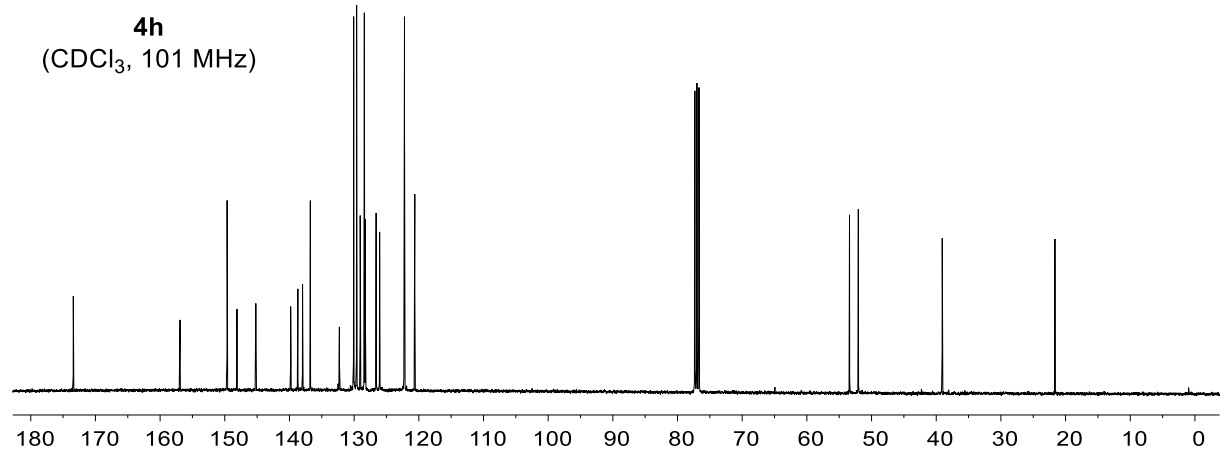


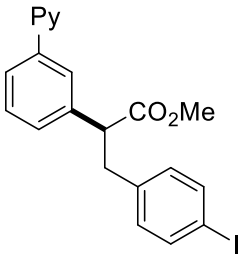
4h
($CDCl_3$, 400 MHz)



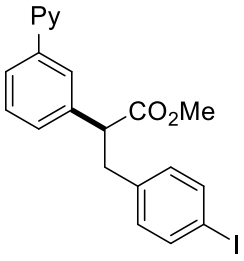


4h
($CDCl_3$, 101 MHz)

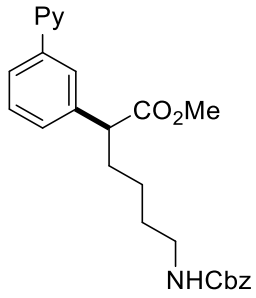




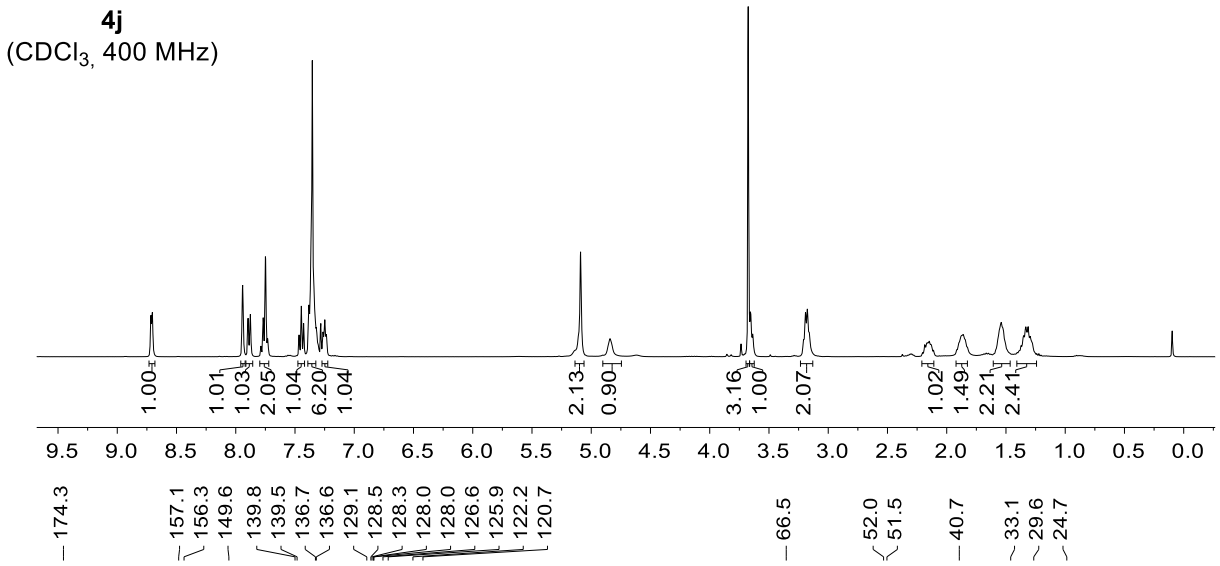
4i
($CDCl_3$, 400 MHz)

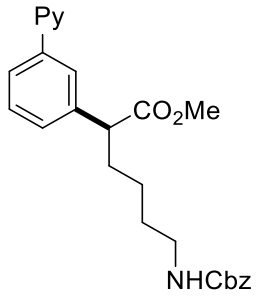


4i
($CDCl_3$, 101 MHz)

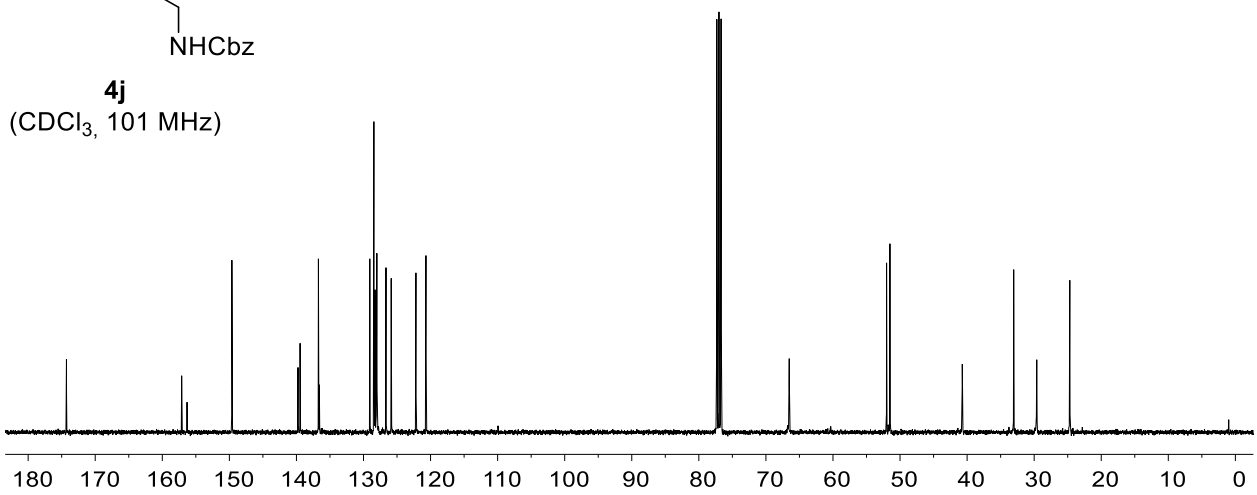


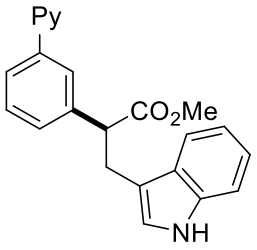
4j
($CDCl_3$, 400 MHz)



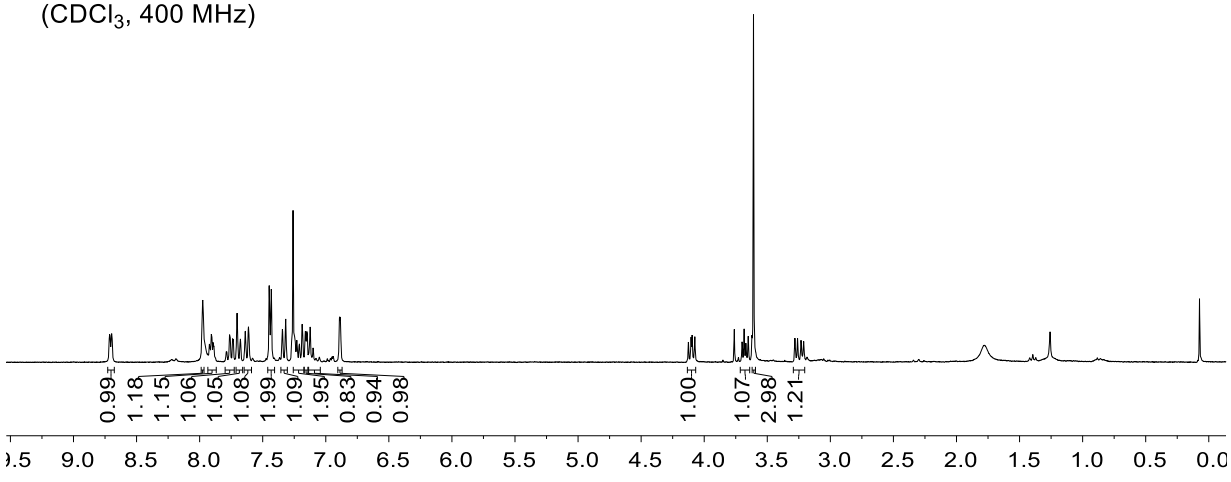


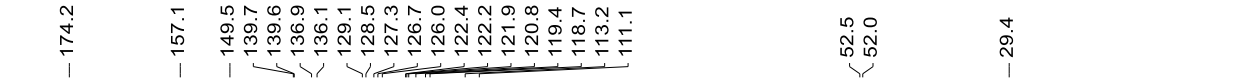
4j
($CDCl_3$, 101 MHz)

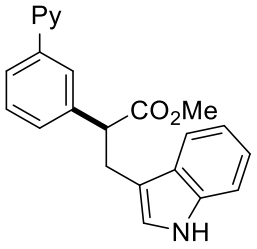




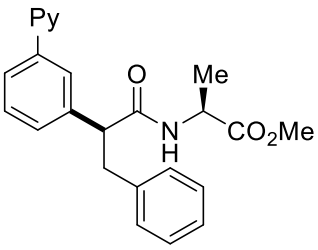
4k
($CDCl_3$, 400 MHz)



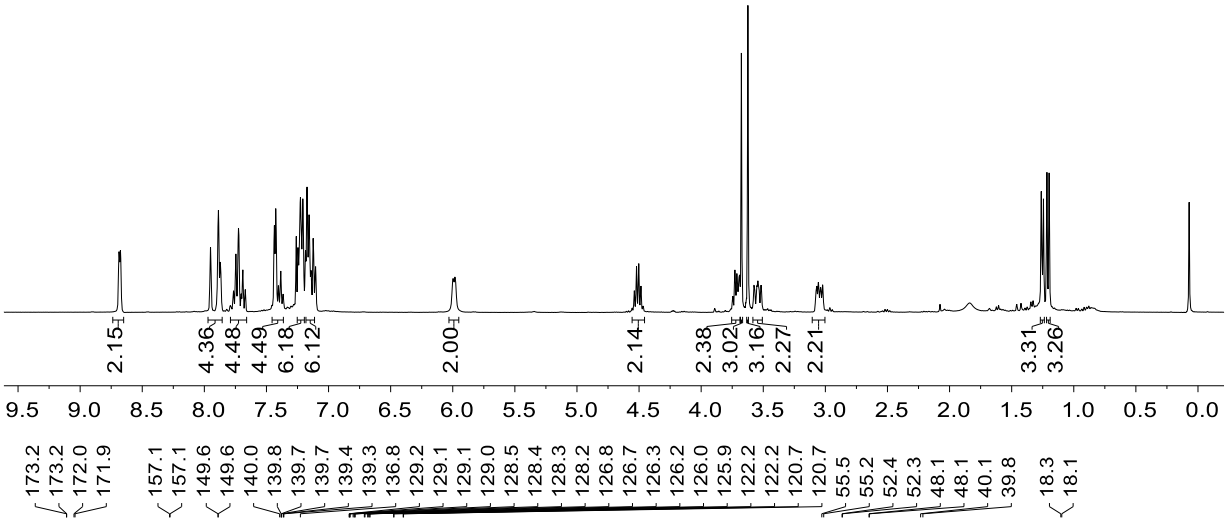


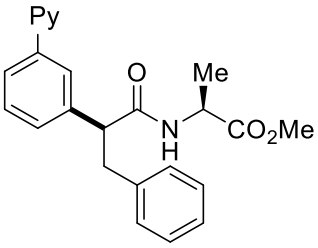


4k
($CDCl_3$, 101 MHz)

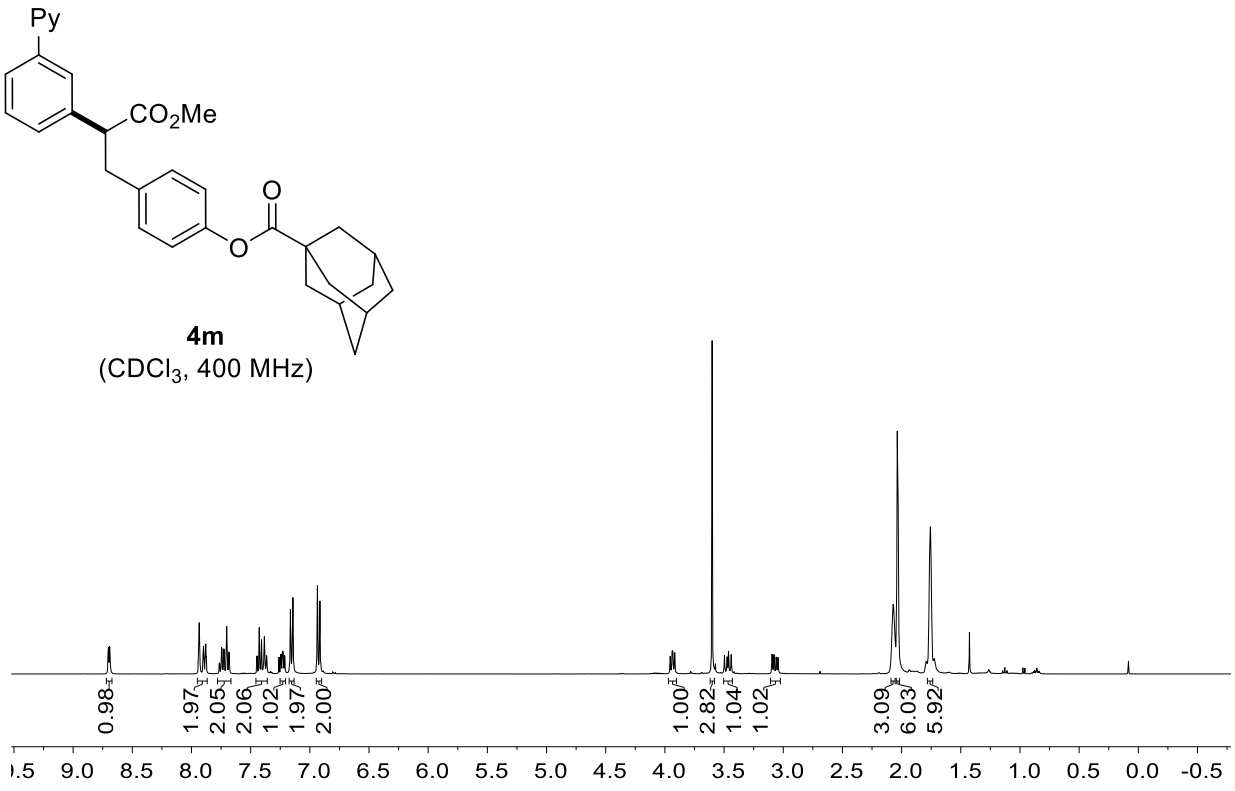


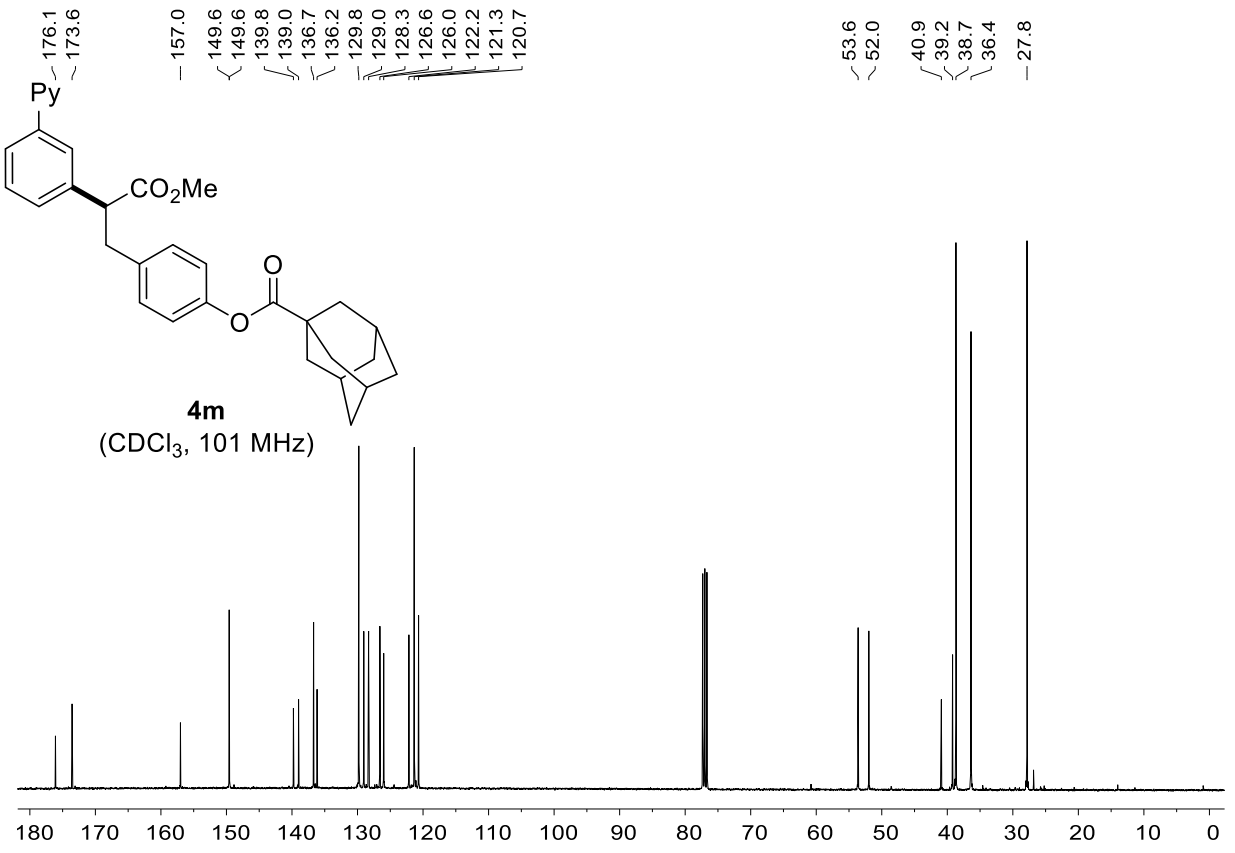
4l
($CDCl_3$, 400 MHz)

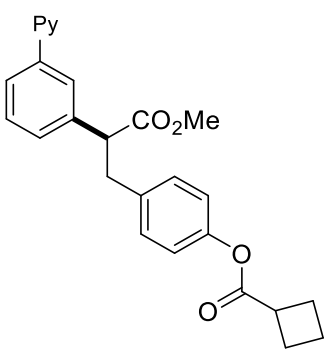




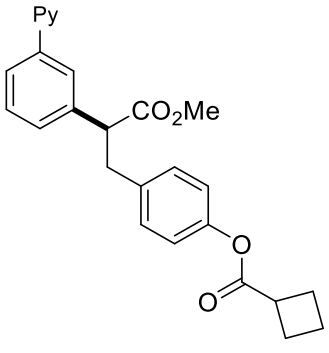
4l
($CDCl_3$, 101 MHz)


Py
CO2Me
O
O
4m
(CDCl3, 400 MHz)
0.98
1.97
2.05
2.06
1.02
1.97
2.00
1.00
2.82
1.04
1.02
3.09
6.03
5.92
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5

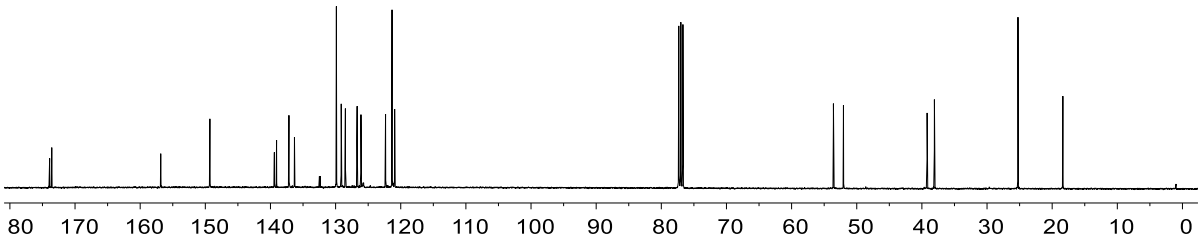

176.1
173.6
157.0
149.6
149.6
139.8
139.0
136.7
136.2
129.8
129.0
128.3
126.6
126.0
122.2
121.3
120.7
53.6
52.0
40.9
39.2
38.7
36.4
27.8
Py
CO2Me
O
O
4m
(CDCl3, 101 MHz)
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

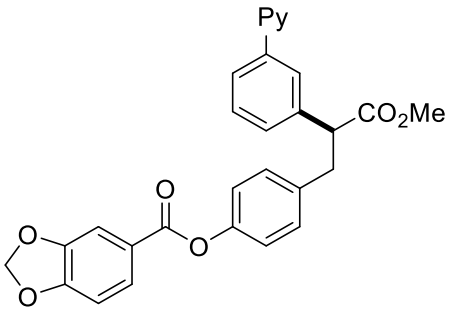


4n
($CDCl_3$, 400 MHz)



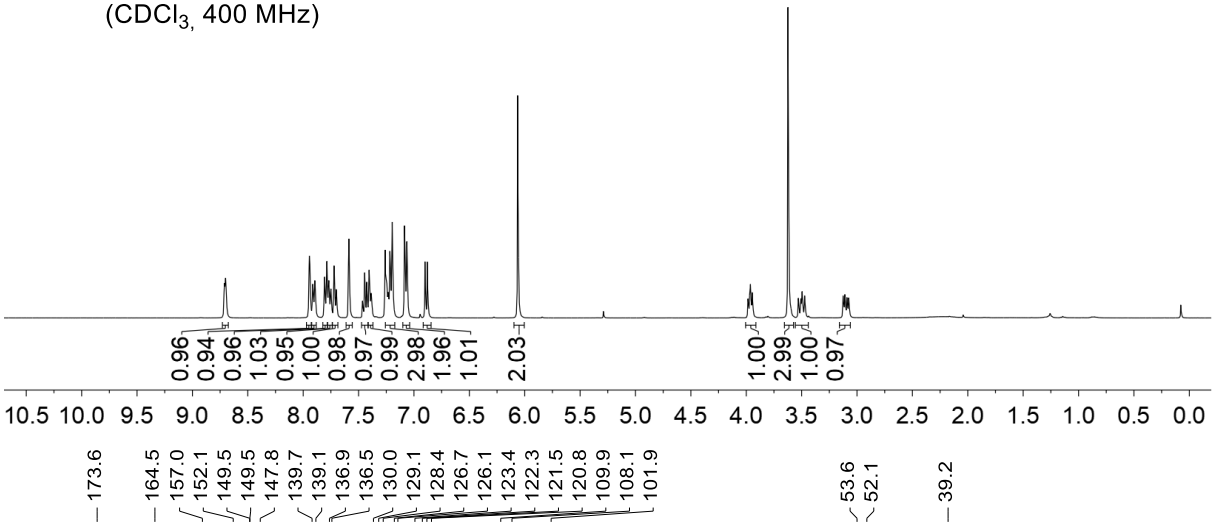
4n
($CDCl_3$, 101 MHz)

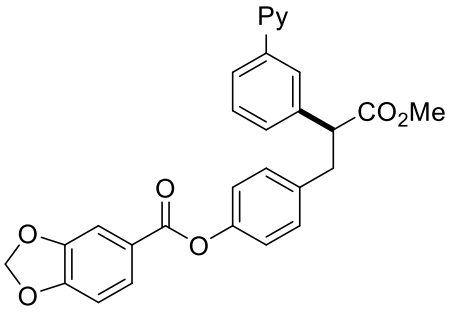




4o

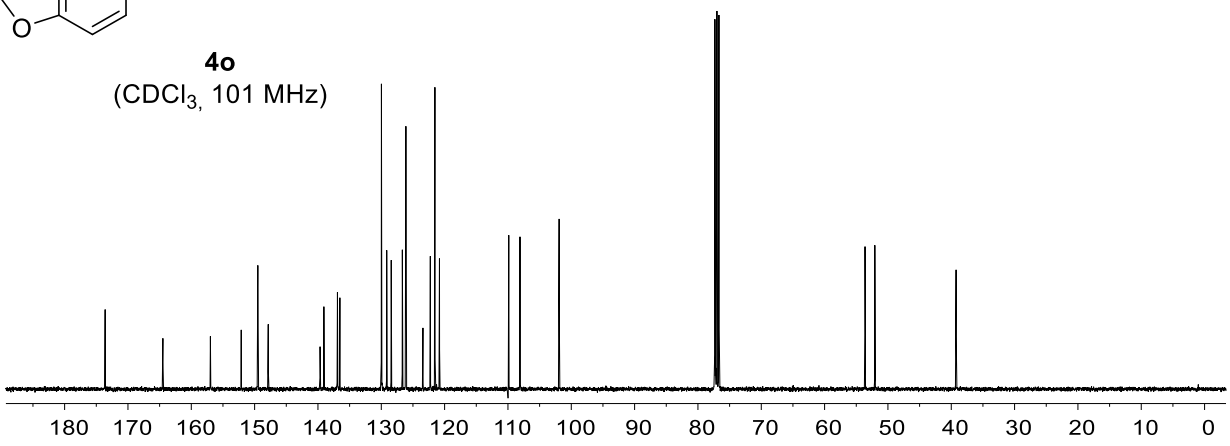
($CDCl_3$, 400 MHz)

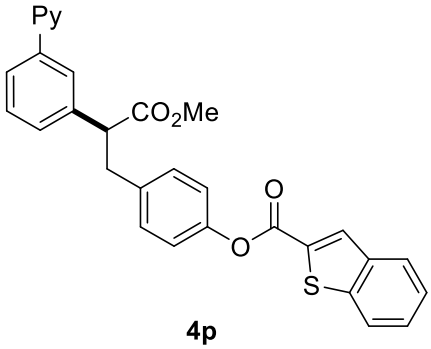




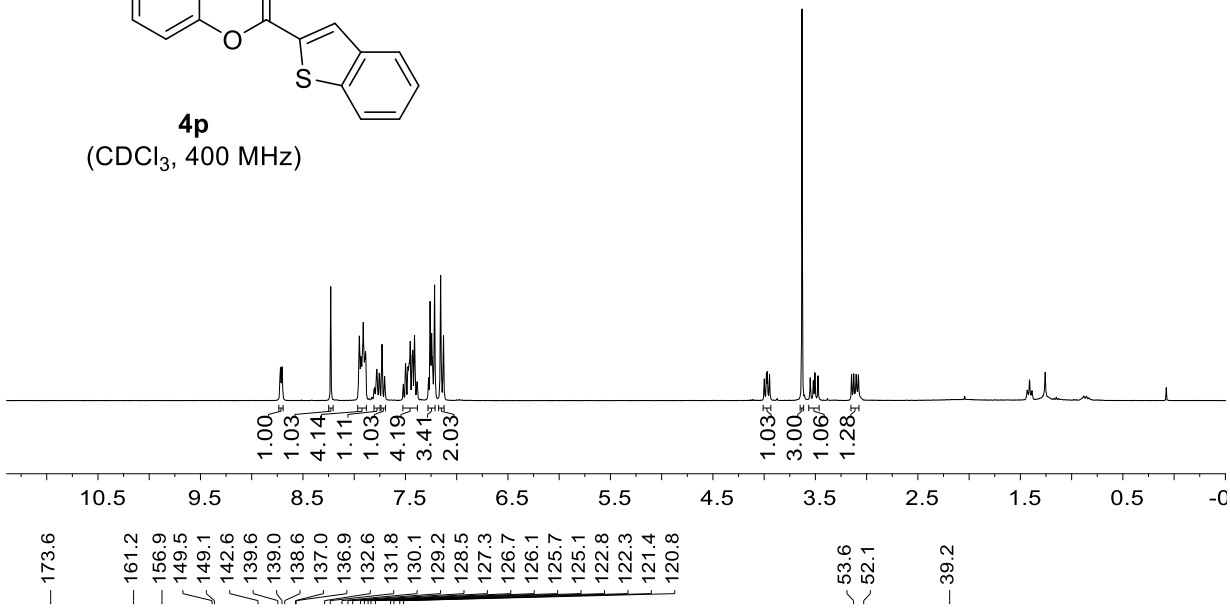
4o

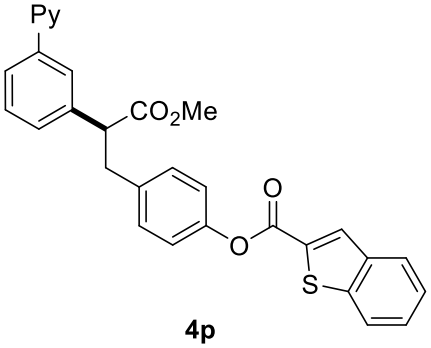
($CDCl_3$, 101 MHz)



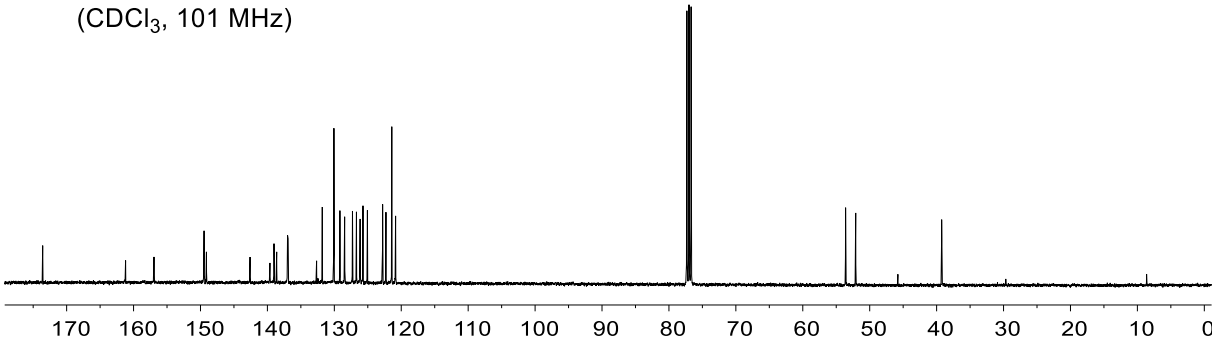


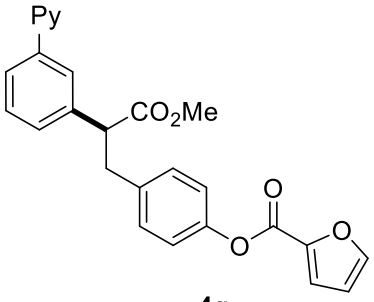
4p
($CDCl_3$, 400 MHz)



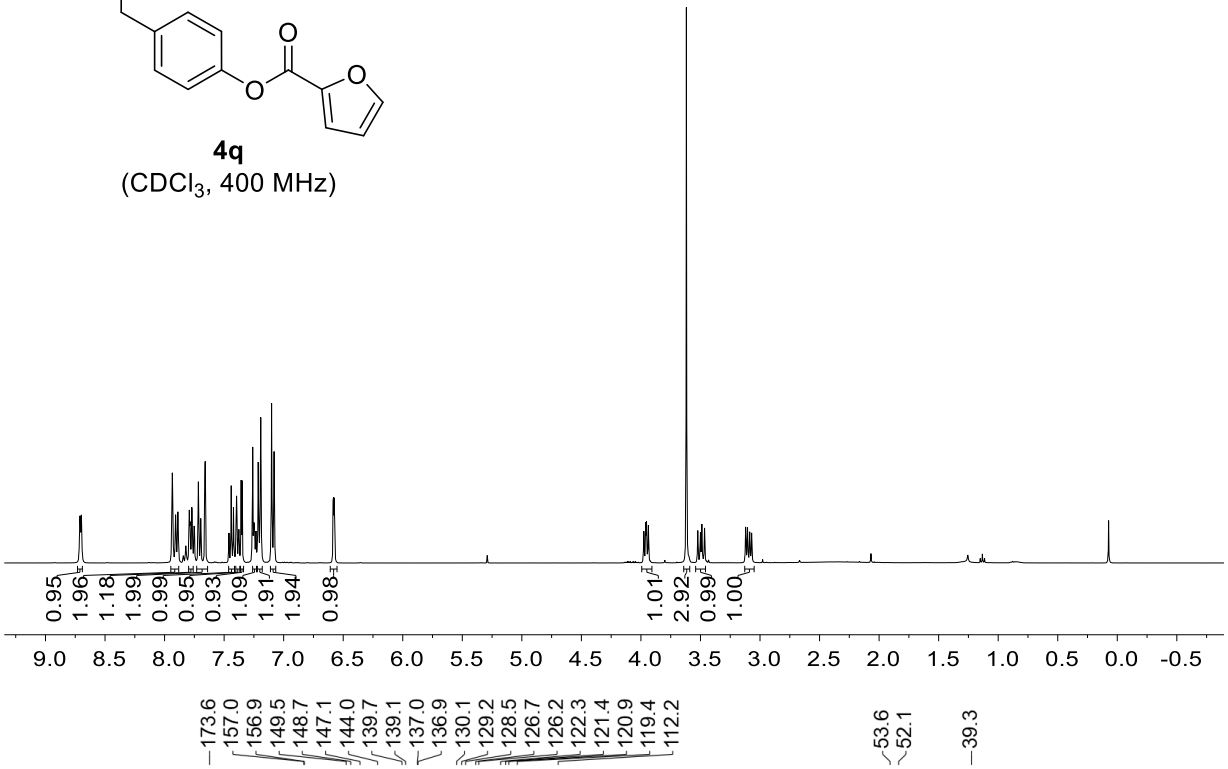


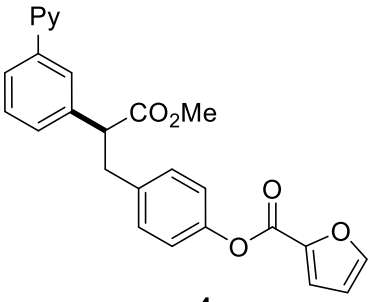
4p
($CDCl_3$, 101 MHz)



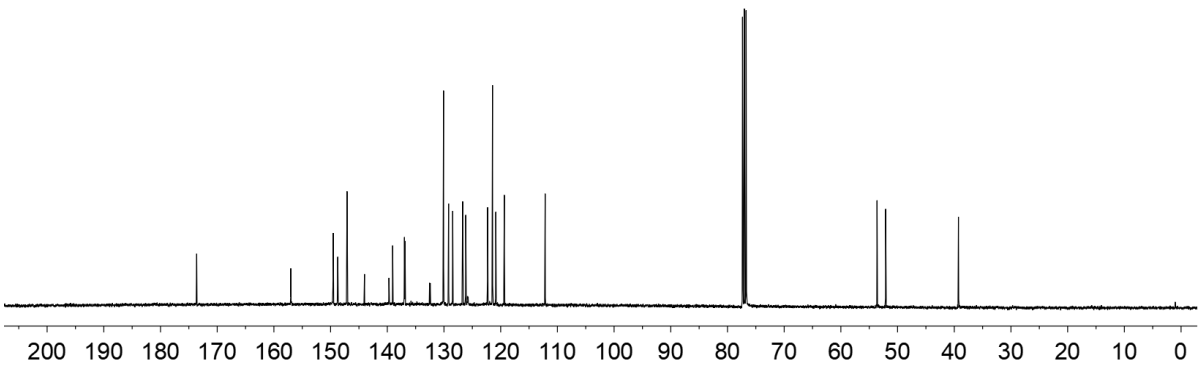


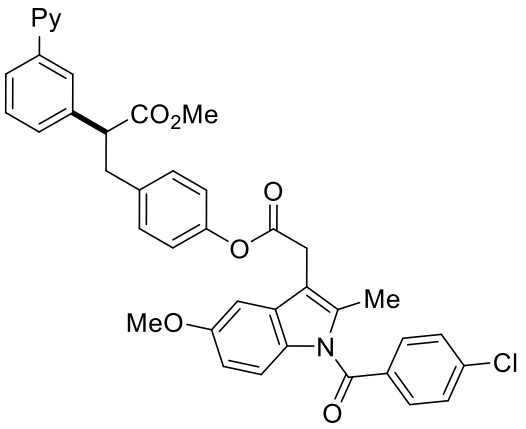
4q
($CDCl_3$, 400 MHz)



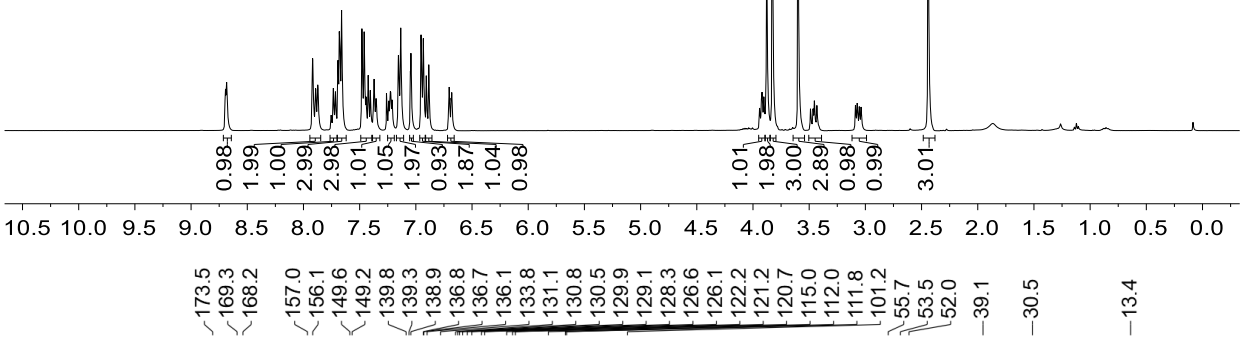


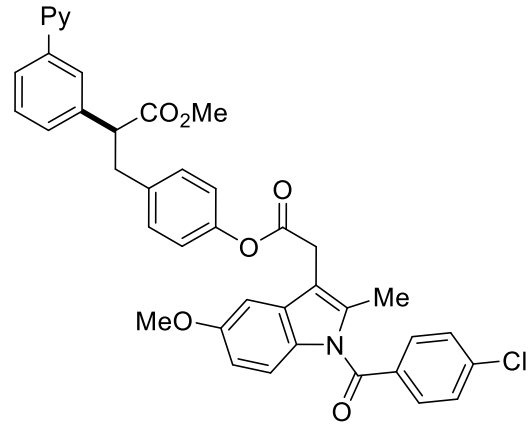
4q
($CDCl_3$, 101 MHz)



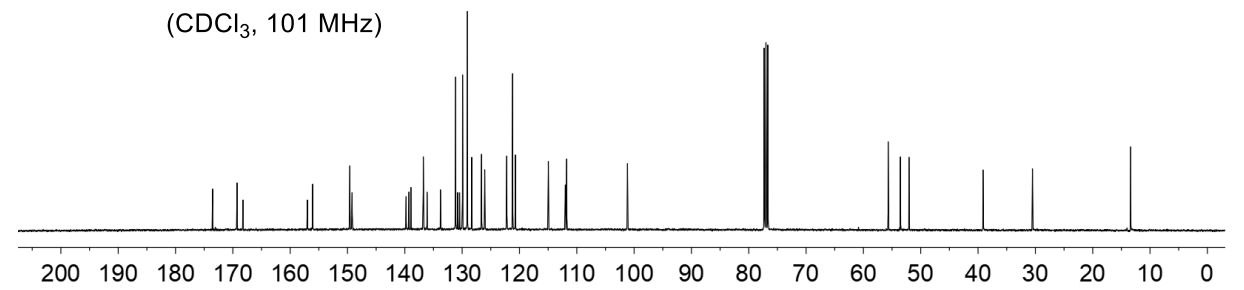


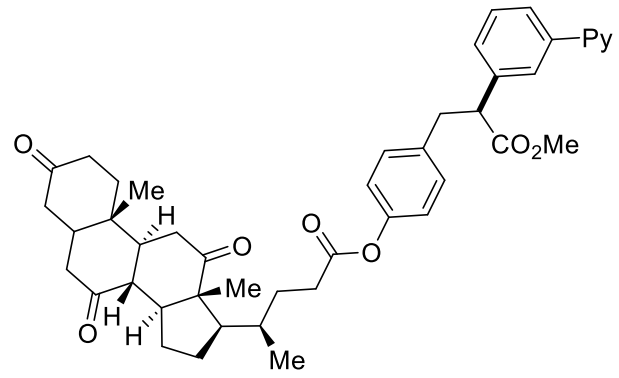
4r
($CDCl_3$, 400 MHz)





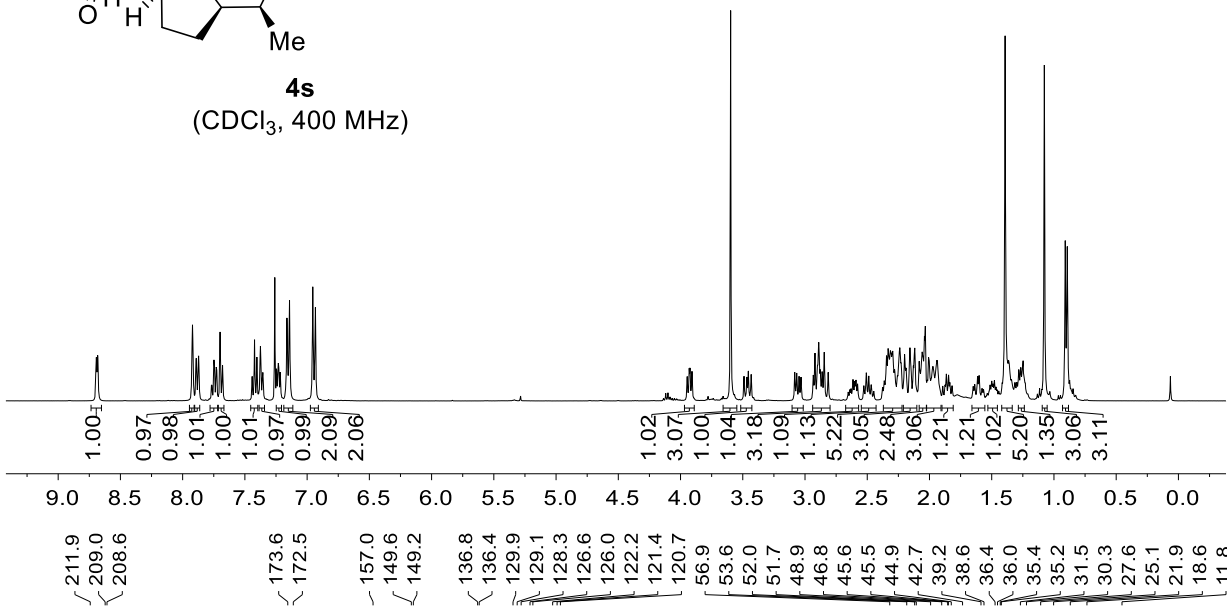
4r
($CDCl_3$, 101 MHz)

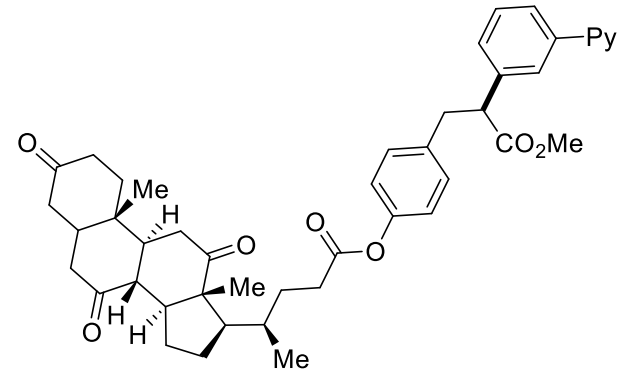




4s

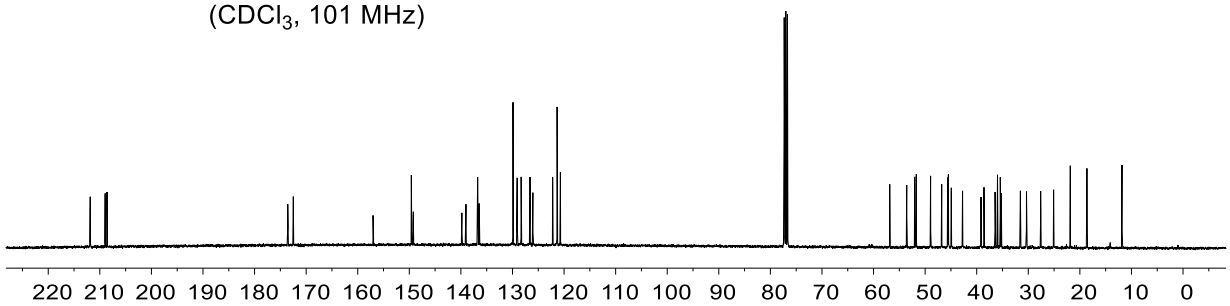
($CDCl_3$, 400 MHz)

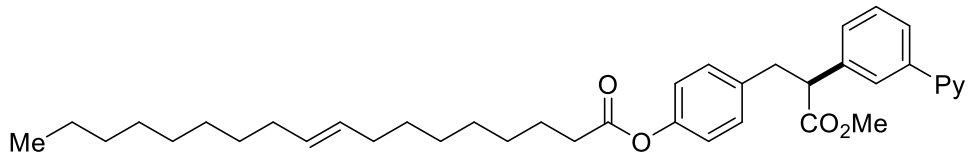




4s

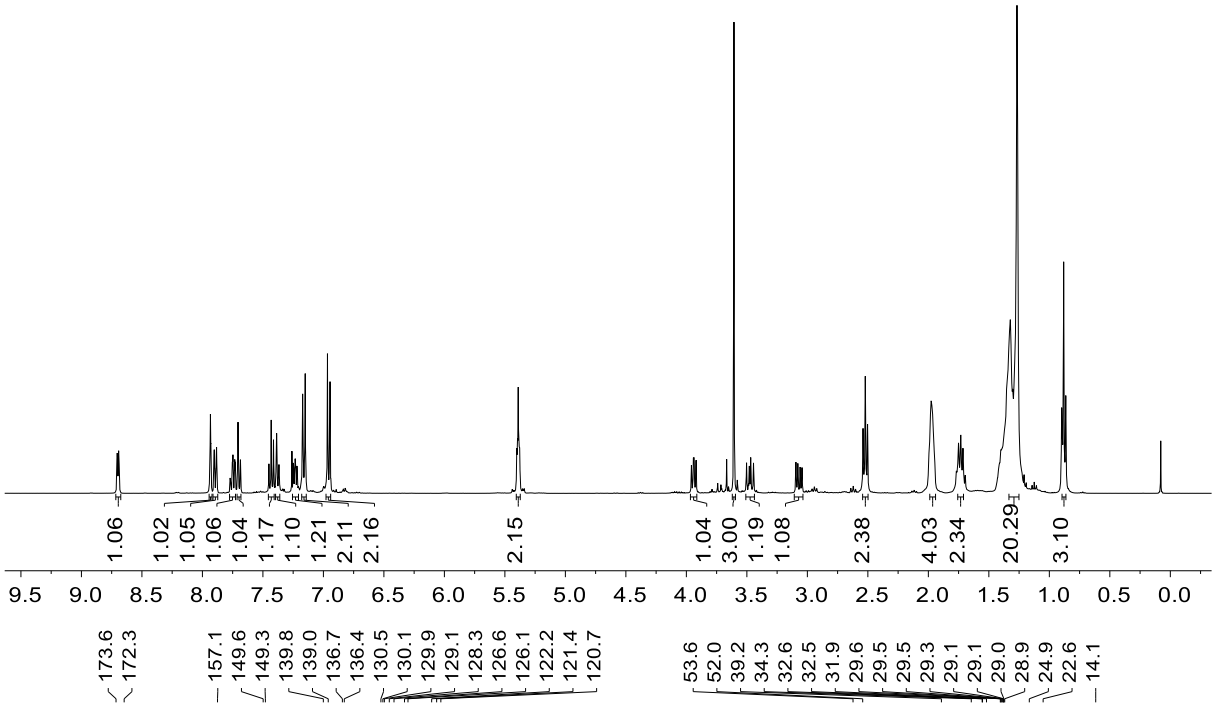
($CDCl_3$, 101 MHz)

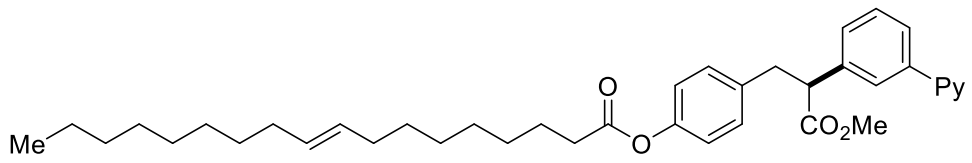




4t

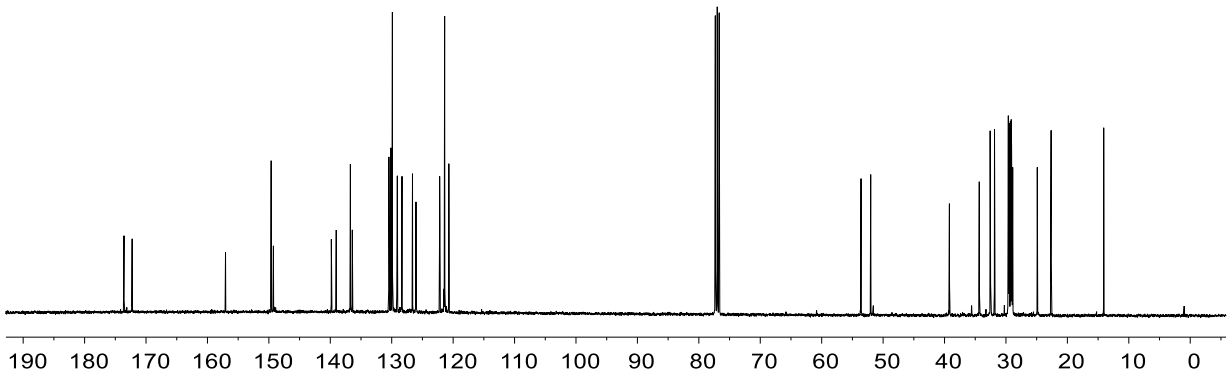
($CDCl_3$, 400 MHz)

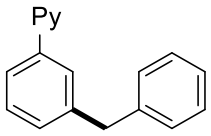




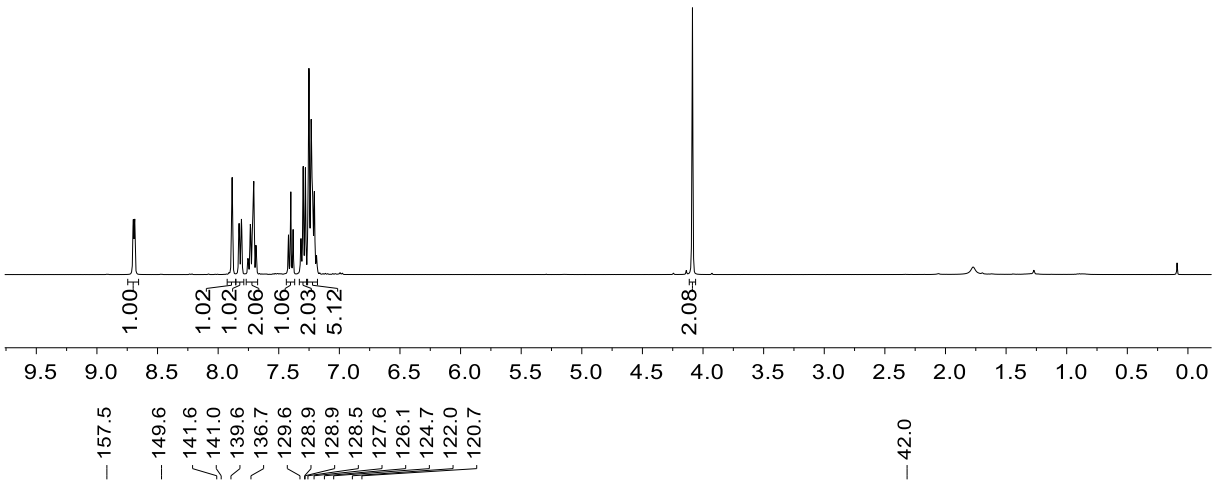
4t

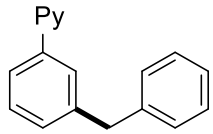
($CDCl_3$, 101 MHz)



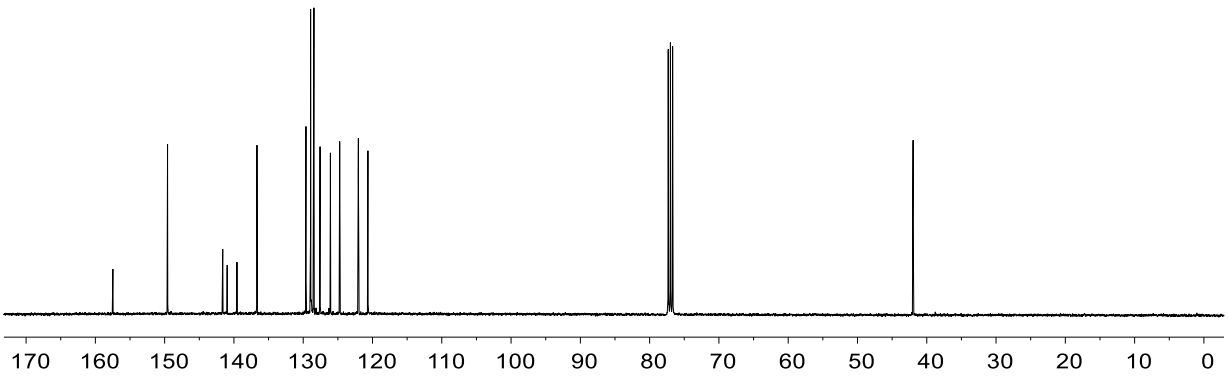


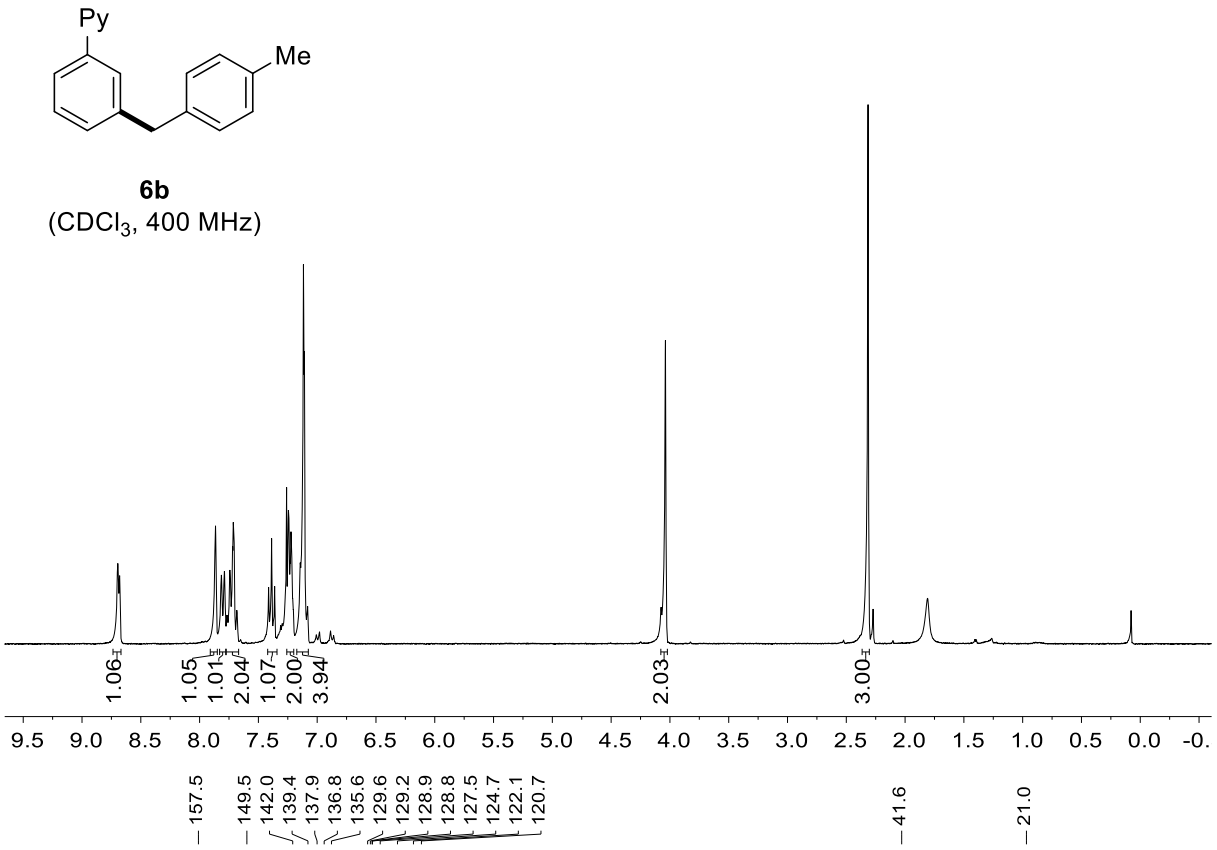
6a
($CDCl_3$, 400 MHz)

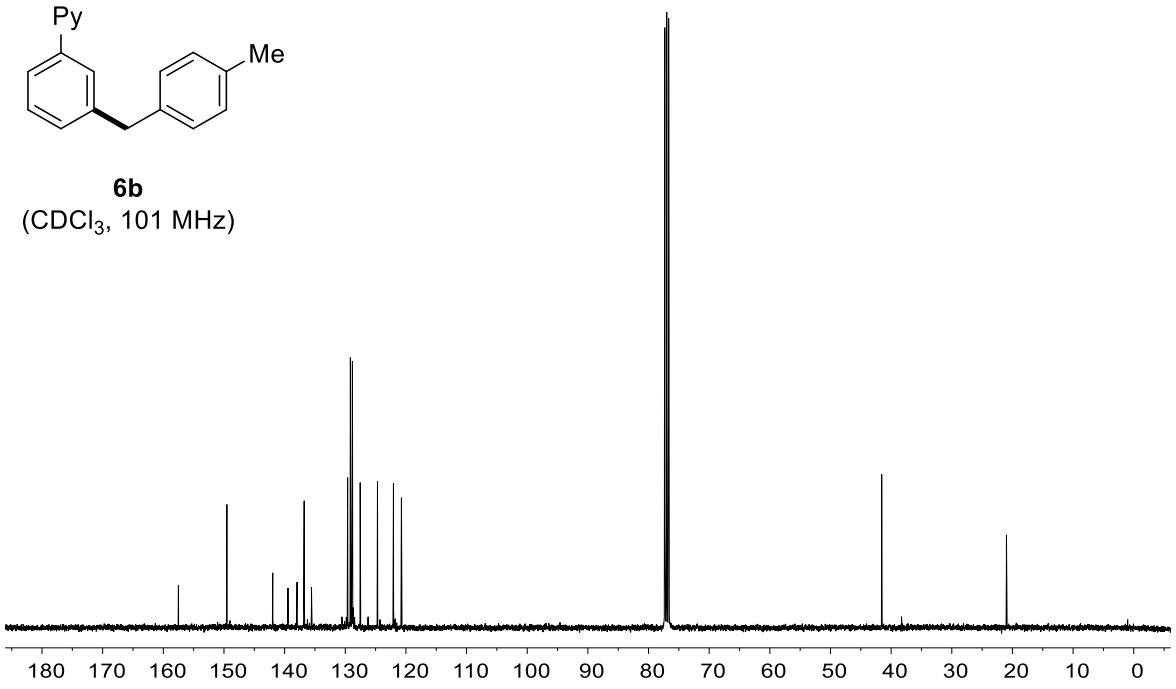


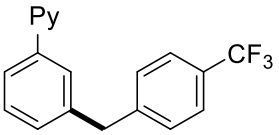


6a
($CDCl_3$, 101 MHz)

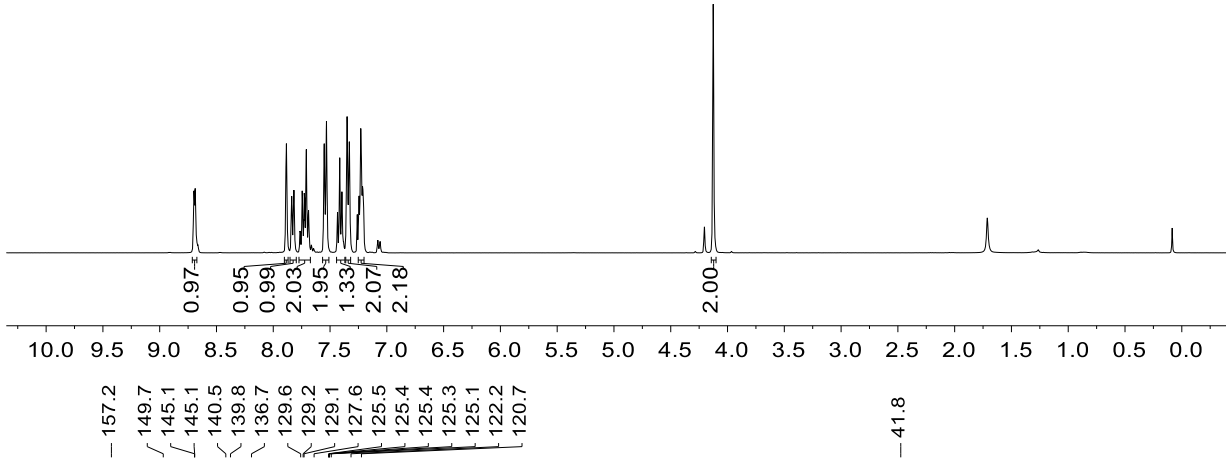


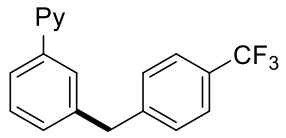

Py
Me
6b
(CDCl3, 400 MHz)
1.06
1.05
1.01
2.04
1.07
2.00
3.94
2.03
3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.
157.5
149.5
142.0
139.4
137.9
136.8
135.6
129.6
129.2
128.9
128.8
127.5
124.7
122.1
120.7
41.6
21.0


Py
Me
6b
(CDCl3, 101 MHz)
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

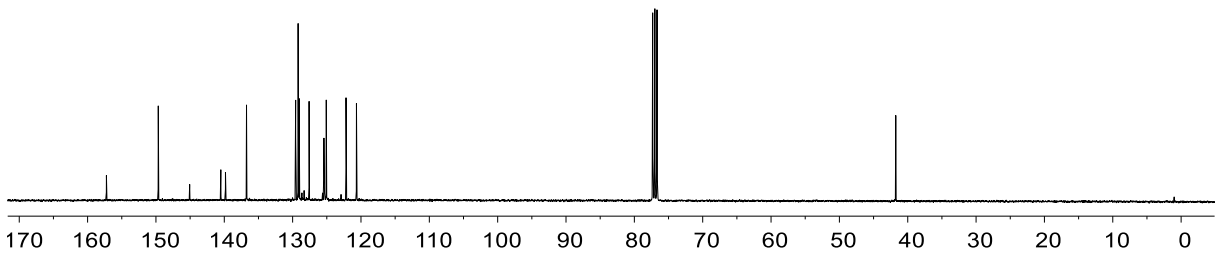


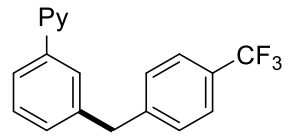
6c
($CDCl_3$, 400 MHz)





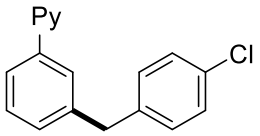
6c
($CDCl_3$, 101 MHz)



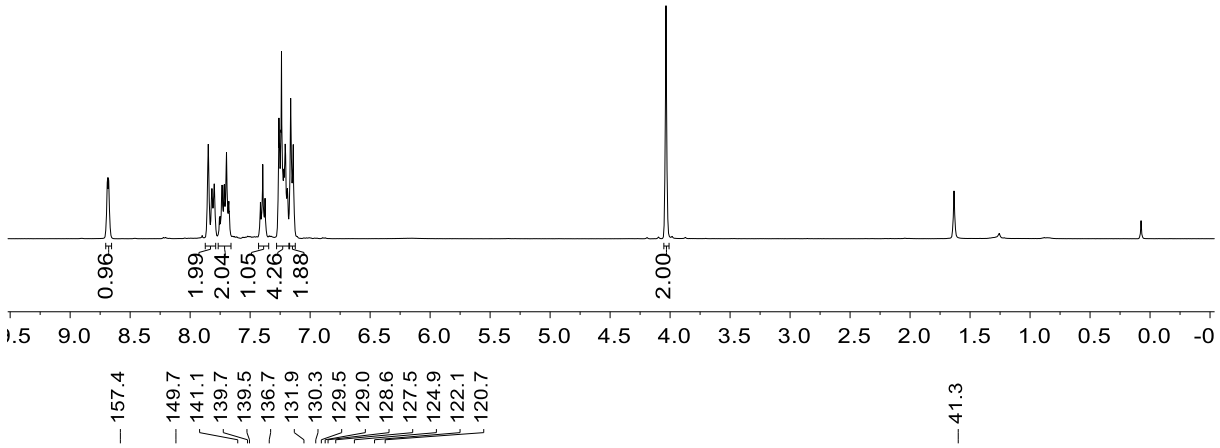


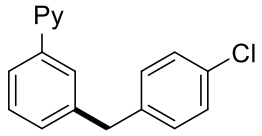
6c

($CDCl_3$, 377 MHz)

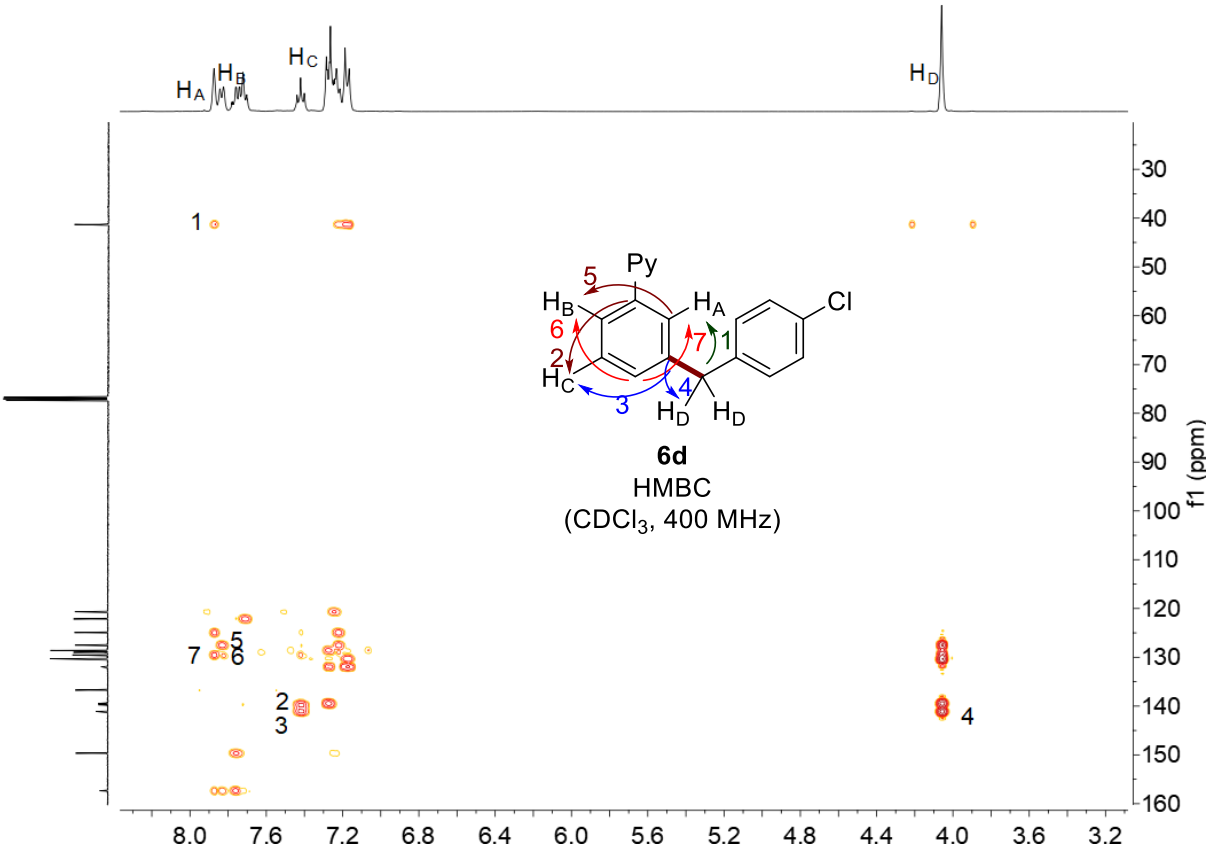


6d
($CDCl_3$, 400 MHz)

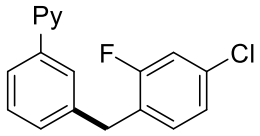




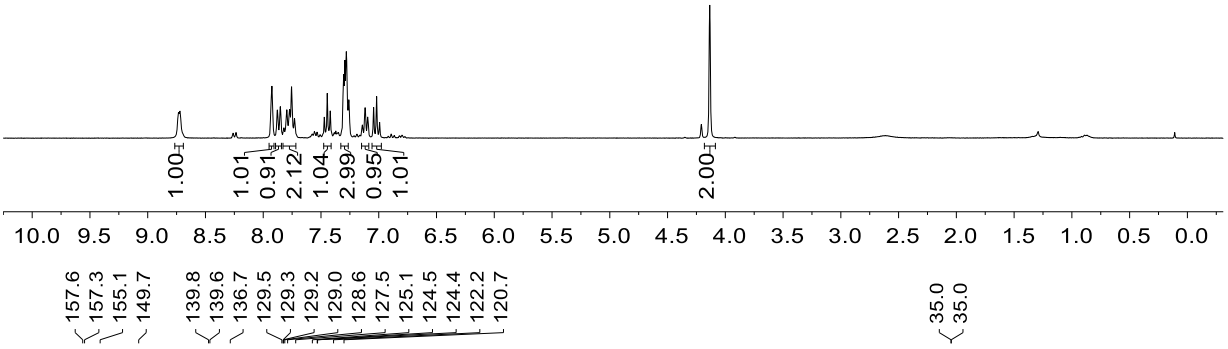
6d
($CDCl_3$, 101 MHz)

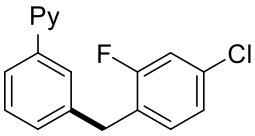


6d
HMBC
($CDCl_3$, 400 MHz)

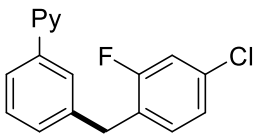


6e
($CDCl_3$, 400 MHz)



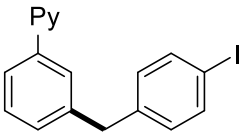


6e
($CDCl_3$, 101 MHz)

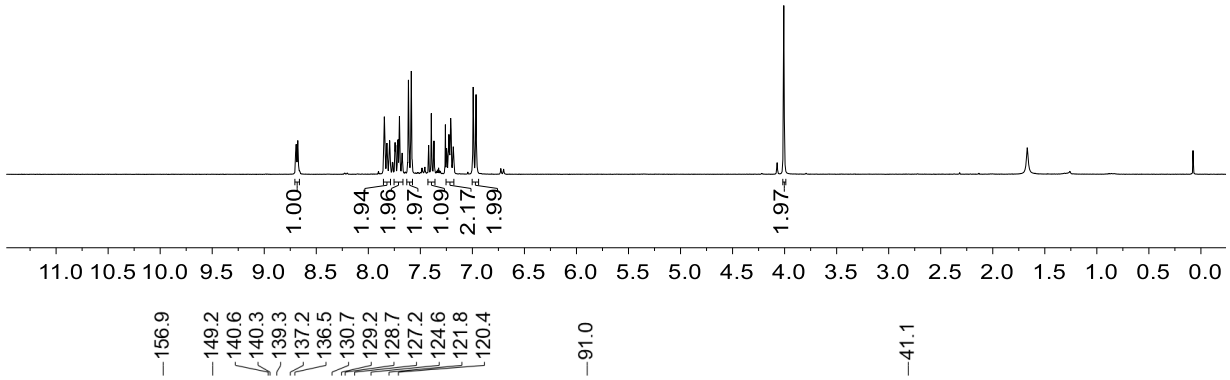


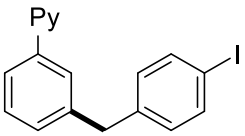
6e

($CDCl_3$, 377 MHz)

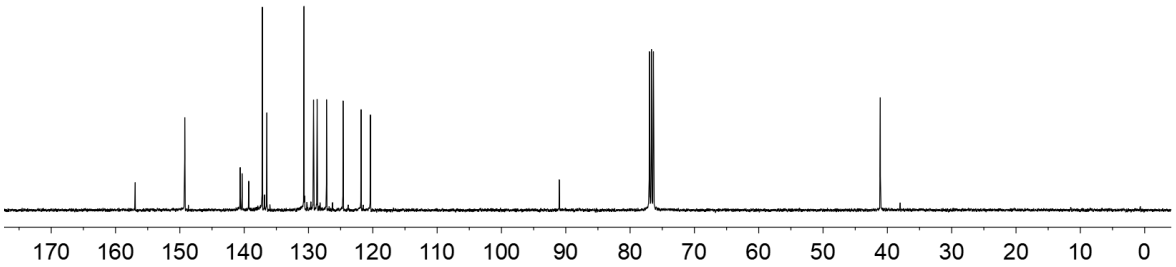


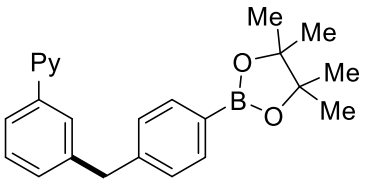
6f
($CDCl_3$, 400 MHz)





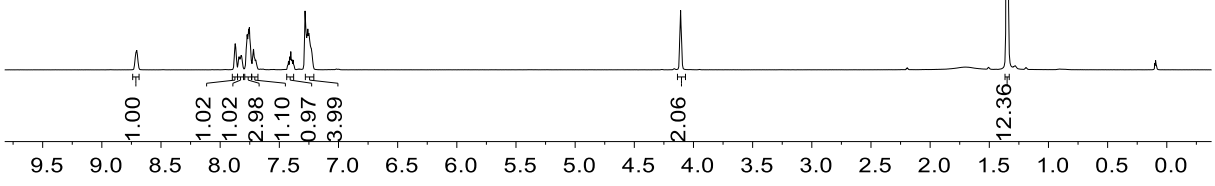
6f
($CDCl_3$, 101 MHz)

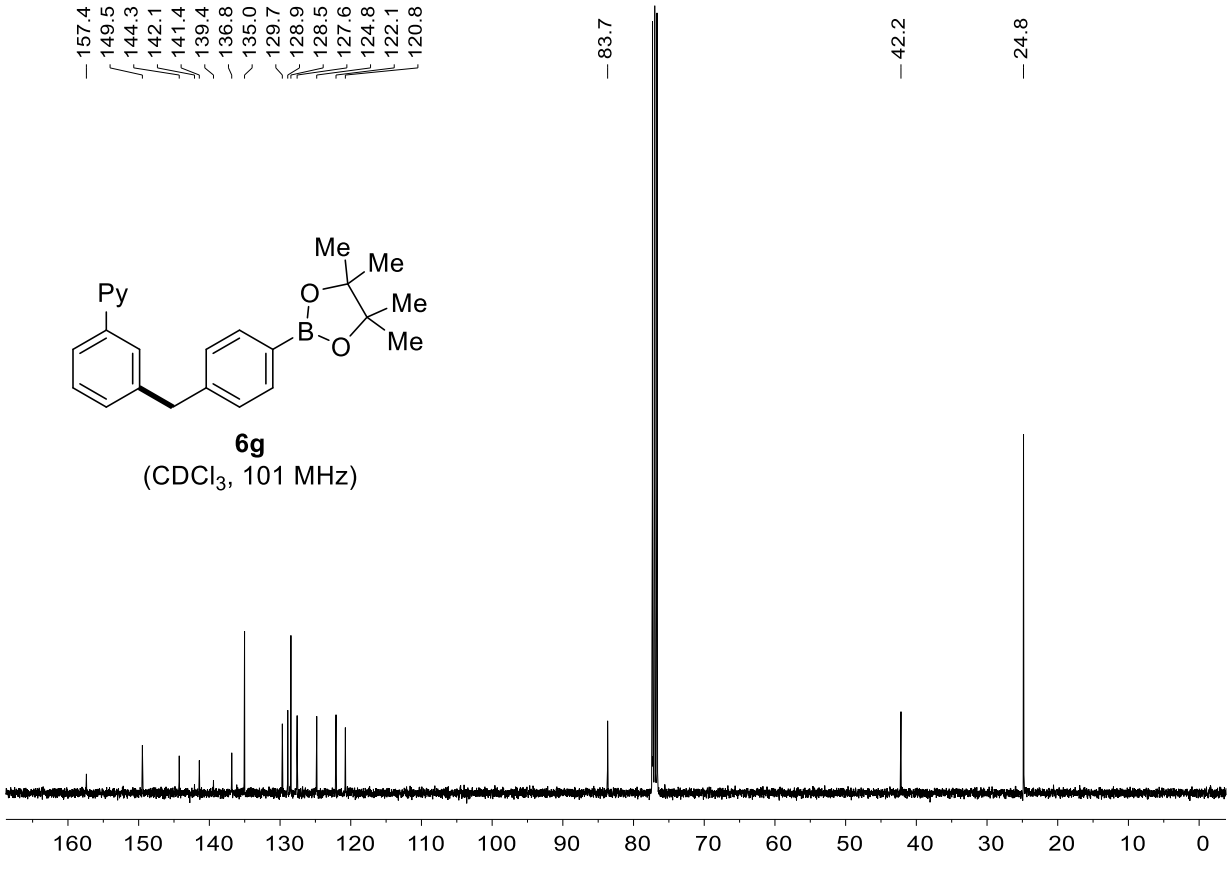




6g

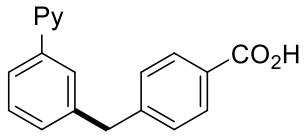
($CDCl_3$, 400 MHz)



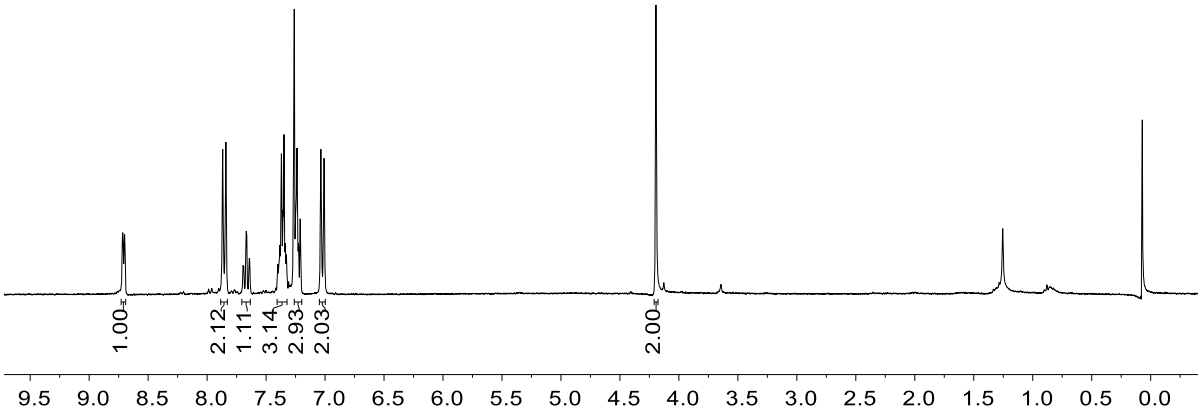


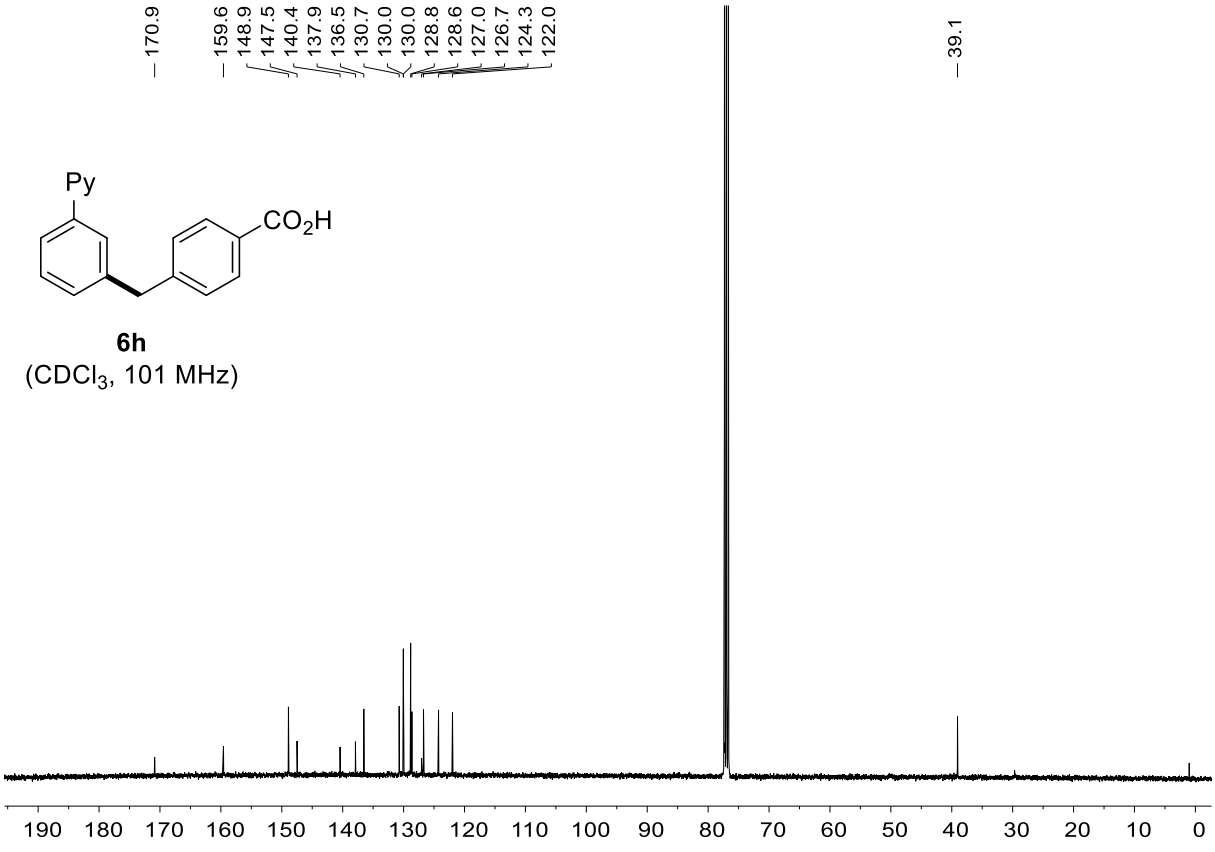
6g

($CDCl_3$, 101 MHz)

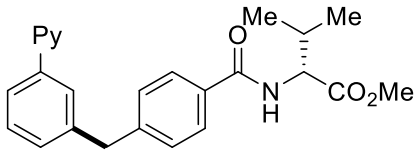


6h
($CDCl_3$, 400 MHz)

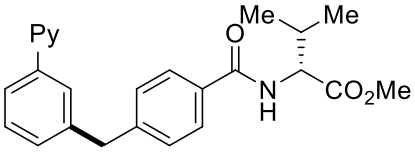




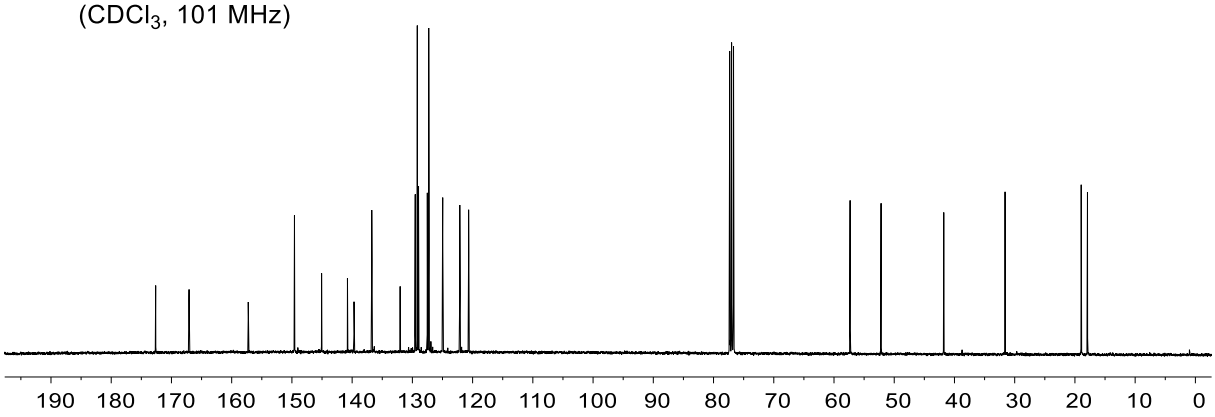
6h
($CDCl_3$, 101 MHz)

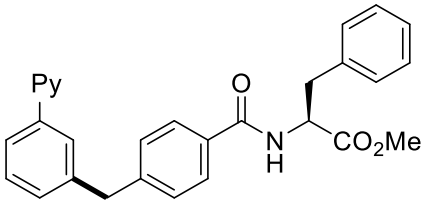


6i
($CDCl_3$, 400 MHz)

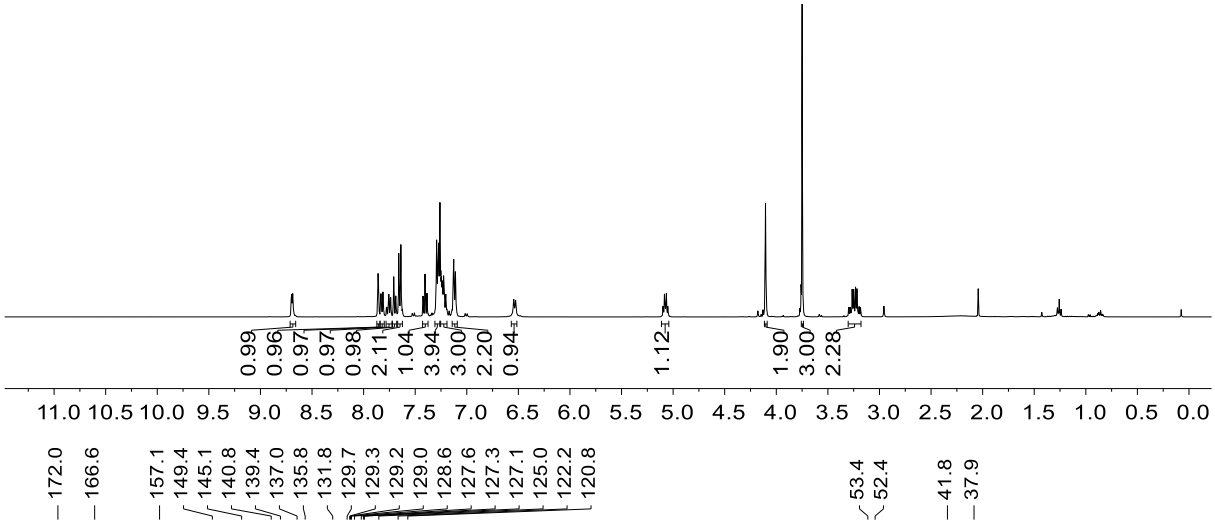


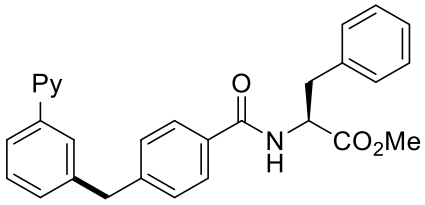
6i
($CDCl_3$, 101 MHz)



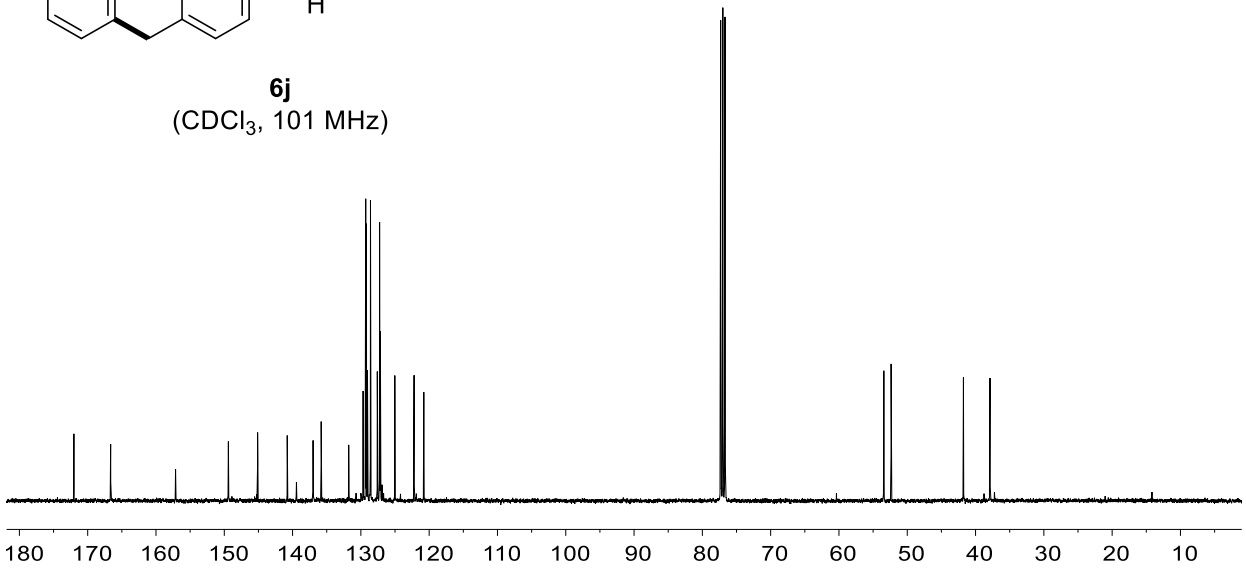


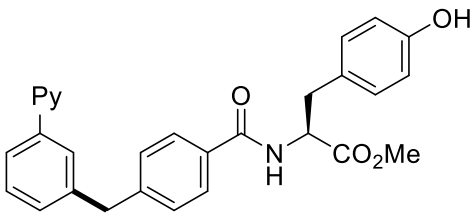
6j
($CDCl_3$, 400 MHz)



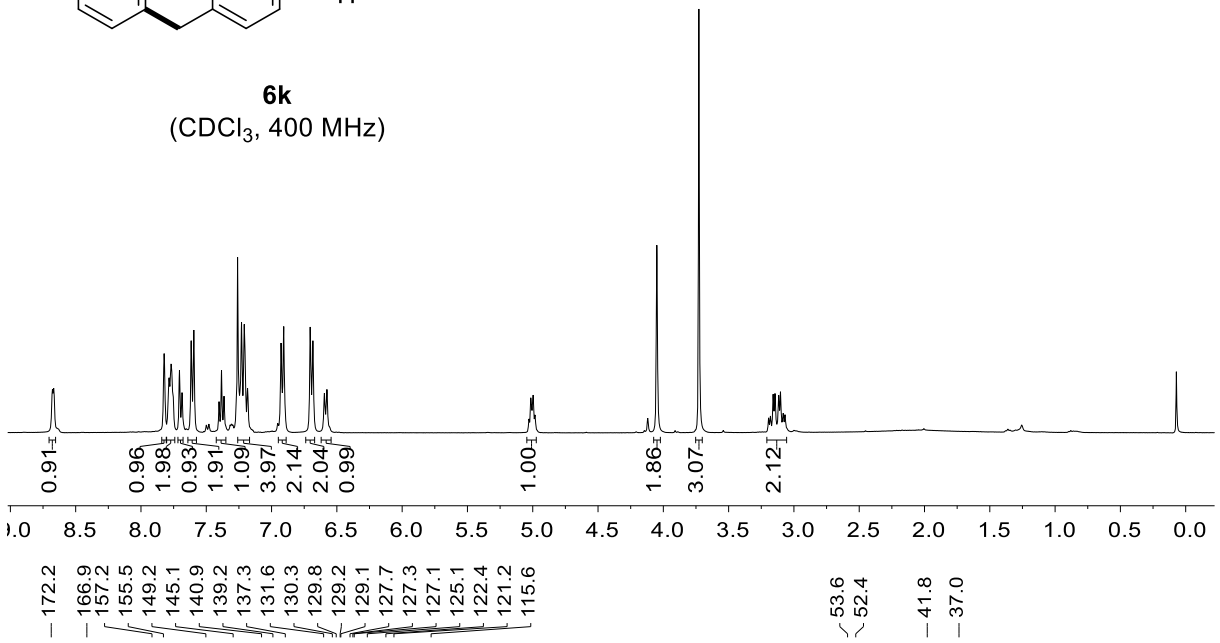


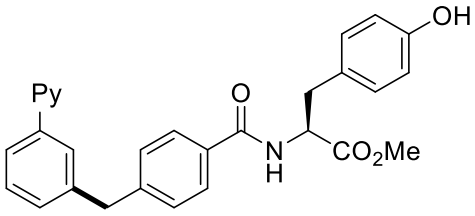
6j
($CDCl_3$, 101 MHz)





6k
($CDCl_3$, 400 MHz)





6k
($CDCl_3$, 101 MHz)