# Supporting Information

## Herbicidal Activity of Flavokawains and Related *trans*-Chalcones Against *Amaranthus tricolor* L. and *Echinochloa crus-galli* (L.) Beauv.

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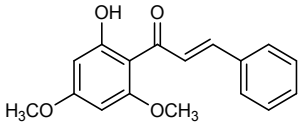
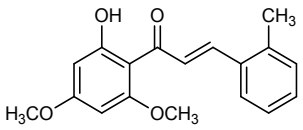
## 1. Spectroscopic data for compounds in Table 1

### (*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-phenylprop-2-en-1-one (Flavokawain B)




The title compound was prepared according to General Procedure A from xanthoxyline and benzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (154 mg, 54%).
m.p. 84-85 °C (MeOH);
$R_f$ = 0.21 (10% EtOAc/hexane);
IR (film) 3057, 2972, 1616 (C=O), 1578, 1562, 1449, 1416, 1213 (C-O), 1157, 744 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.30 (1H, s, O**H**), 7.92 (1H, d, *J* = 15.6 Hz, CH=C**H**), 7.79 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.66-7.57 (2H, m, Ar**H**), 7.47-7.35 (3H, m, Ar**H**), 6.12 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.98 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.93 (3H, s, OC**H**$_3$), 3.85 (3H, s, OC**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 192.63 (C=O), 168.39 (C), 166.23 (C), 162.50 (C), 142.31 (CH), 135.55 (C), 130.04 (CH), 128.86 (2 × CH), 128.34 (2 × CH), 127.52 (CH), 106.33 (C), 93.78 (CH), 91.26 (CH), 55.84 ($CH_3$), 55.57 ($CH_3$).
The NMR data were in agreement with the literature.[1-6]

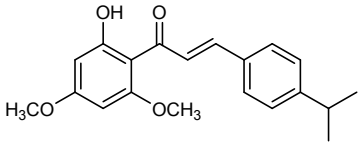
### (*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-o-tolylprop-2-en-1-one (1)




The title compound was prepared according to General Procedure A from xanthoxyline and 2-methylbenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (197.5 mg, 66.2%).
m.p. 117-118 °C (MeOH);
$R_f$ = 0.48 (20% EtOAc/hexane);
IR (film) 2941, 1622 (C=O), 1580, 1562, 1417, 1339, 1265, 1217(C-O), 1157, 737 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.32 (1H, s, O**H**), 8.08 (1H, d, *J* = 15.5 Hz, CH=C**H**), 7.82 (1H, d, *J* = 15.5 Hz, C**H**=CH), 7.65 (1H, dd, *J* = 7.8, 1.2 Hz, Ar**H**), 7.31-7.27 (1H, m, Ar**H**), 7.27-7.22 (2H, m, Ar**H**), 6.12 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.97 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.91 (3H, s, OC**H**$_3$), 3.84 (3H, s, OC**H**$_3$), 2.50 (3H, s, C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 192.68 (C=O), 168.36 (C), 166.20 (C), 162.49 (C), 139.91 (CH), 138.11 (C), 134.48 (C), 130.82 (CH), 129.74 (CH), 128.58 (CH), 126.58 (CH), 126.22 (CH), 106.32 (C), 93.78 (CH), 91.20 (CH), 55.79 ($CH_3$), 55.52 ($CH_3$), 19.89 ($CH_3$).

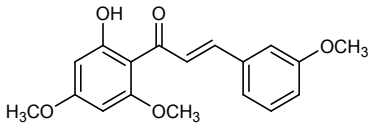
The NMR data were in agreement with the literature.[6]

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(4-isopropylphenyl)prop-2-en-1-one (2)**




The title compound was prepared according to General Procedure A from xanthoxyline and 4-isopropylbenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (244.8 mg, 75%).
m.p. 116-117 °C (MeOH);
$R_f$ = 0.48 (20% EtOAc/hexane);
IR (film) 2963, 1620 (C=O), 1558, 1454, 1416, 1339, 1213(C-O), 1157, 1113, 735 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.35 (1H, s, O**H**), 7.87 (1H, d, *J* = 15.6 Hz, CH=C**H**), 7.78 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.54 (2H, d, *J* = 8.2 Hz, Ar**H**), 7.27 (2H, d, *J* = 8.4 Hz, Ar**H**), 6.10 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.96 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.91 (3H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$), 2.99-2.89 (1H, m, CH), 1.27 (6H, d, *J* = 6.9 Hz, C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 192.67 (C=O), 168.35 (C), 166.10 (C), 162.48 (C), 151.38 (C), 142.47 (CH), 133.20 (C), 128.47 (2 × CH), 126.97 (2 × CH), 126.58 (CH), 106.34 (C), 93.78 (CH), 91.20 (CH), 55.77 ($CH_3$), 55.51 ($CH_3$), 34.07 (CH), 23.75 (2 × $CH_3$) (Figure S1).
HRMS (ESI) Exact mass calcd for $C_{20}H_{21}O_4$ $[M-H]^+$: 325.1445, found 325.1460.

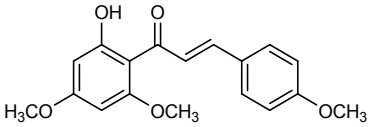
**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(3-methoxyphenyl)prop-2-en-1-one (3)**




The title compound was prepared according to General Procedure A from xanthoxyline and 3-methoxybenzaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a yellow solid (209.7 mg, 66.7%).
m.p. 95-96 °C (MeOH);
$R_f$ = 0.35 (20% EtOAc/hexane);
IR (film) 2941, 1616 (C=O), 1578, 1562, 1454, 1416, 1339, 1211 (C-O), 1157, 735 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.28 (1H, s, O**H**), 7.88 (1H, d, *J* = 15.6 Hz, CH=C**H**), 7.74 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.33 (1H, t, *J* = 7.9 Hz, Ar**H**), 7.21 (1H, d, *J* = 7.7 Hz, Ar**H**), 7.14-7.10 (1H, m, Ar**H**), 6.96-6.92 (1H, m, Ar**H**), 6.11 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.96 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.92 (3H, s, OC**H**$_3$), 3.86 (3H, s, OC**H**$_3$), 3.84 (3H, s, OC**H**$_3$);

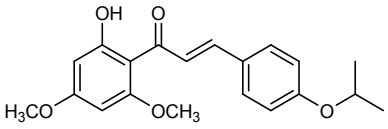
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.56 (C=O), 168.37 (C), 166.24 (C), 162.48 (C), 159.85 (C), 142.11 (CH), 136.96 (C), 129.80 (CH), 127.87 (CH), 120.87 (CH), 115.56 (CH), 113.62 (CH), 106.31 (C), 93.79 (CH), 91.24 (CH), 55.81 ($CH_3$), 55.54 ($CH_3$), 55.24 ($CH_3$) (Figure S2).
HRMS (ESI) Exact mass calcd for $C_{18}H_{17}O_5$ $[M-H]^+$: 313.1081, found 313.1084.

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(4-methoxyphenyl)prop-2-en-1-one (Flavokawain A)**




The title compound was prepared according to General Procedure A from xanthoxyline and 4-methoxybenzaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a yellow solid (234.5 mg, 74.6%).
m.p. 104-105 °C (MeOH);
$R_f$ = 0.35 (20% EtOAc/hexane);
IR (film) 3051, 2970, 1616 (C=O), 1582, 1510, 1436, 1421, 1215 (C-O), 1157, 827 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.44 (1H, s, O**H**), 7.83-7.75 (2H, m, C**H**=C**H**), 7.58-7.54 (2H, m, Ar**H**), 6.94-6.90 (2H, m, Ar**H**), 6.10 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.95 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.91 (3H, s, OC**H**$_3$), 3.85 (3H, s, OC**H**$_3$), 3.82 (3H, s, OC**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.51 (C=O), 168.31 (C), 165.96 (C), 162.40 (C), 161.30 (C), 142.37 (CH), 130.03 (2 × CH), 128.24 (C), 125.05 (CH), 114.29 (2 × CH), 106.27 (C), 93.77 (CH), 91.13 (CH), 55.74 ($CH_3$), 55.47 ($CH_3$), 55.31 ($CH_3$).
The NMR data were in agreement with the literature.[1-8]

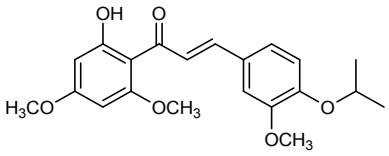
**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(4-isopropoxyphenyl)prop-2-en-1-one (4)**




The title compound was prepared according to General Procedure A from xanthoxyline and 4-isopropoxybenzaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a yellow solid (193.8 mg, 56.6%).
m.p. 111-112 °C (MeOH);
$R_f$ = 0.45 (20% EtOAc/hexane);
IR (film) 2978, 1620 (C=O), 1603, 1557, 1506, 1342, 1213 (C-O), 1157, 1114, 735 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.44 (1H, s, O**H**), 7.83-7.75 (2H, m, C**H**=C**H**), 7.57-7.52 (2H, m, Ar**H**), 6.92-6.87 (2H, m, Ar**H**), 6.11 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.96 (1H, d, *J* = 2.4 Hz, Ar**H**),

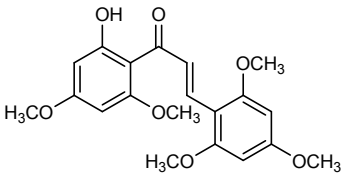
4.61 (1H, hept, $J$ = 6.0 Hz, OC**H**), 3.92 (3H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$), 1.37 (6H, d, $J$ = 6.0 Hz, C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.56 (C=O), 168.32 (C), 165.95 (C), 162.43 (C), 159.80 (C), 142.55 (CH), 130.11 (2 × CH), 127.91 (C), 124.87 (CH), 115.89 (2 × CH), 106.33 (C), 93.79 (CH), 91.16 (CH), 69.98 (CH), 55.78 ($CH_3$), 55.50 ($CH_3$), 21.95 (2 × $CH_3$).
The NMR data were in agreement with the literature.[9]

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(4-isopropoxy-3-methoxyphenyl)prop-2-en-1-one (5)**



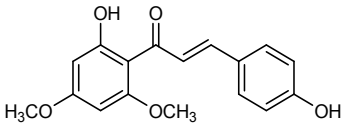

The title compound was prepared according to General Procedure A from xanthoxyline and 4-isopropoxy-3-methoxybenzaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (205.1 mg, 55.1%).
m.p. 119-120 °C (MeOH);
$R_f$ = 0.42 (20% EtOAc/hexane);
IR (film) 2978, 1620 (C=O), 1580, 1557, 1506, 1464, 1256, 1209 (C-O), 1111, 733 cm$^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.43 (1H, s, O**H**), 7.80 (1H, d, $J$ = 15.5 Hz, CH=C**H**), 7.75 (1H, d, $J$ = 15.5 Hz, C**H**=CH), 7.19 (1H, dd, $J$ = 8.3, 2.0 Hz, Ar**H**), 7.13 (1H, d, $J$ = 1.9 Hz, Ar**H**), 6.90 (1H, d, $J$ = 8.4 Hz, Ar**H**), 6.11 (1H, d, $J$ = 2.4 Hz, Ar**H**), 5.96 (1H, d, $J$ = 2.4 Hz, Ar**H**), 4.61 (1H, hept, $J$ = 6.1 Hz, OC**H**), 3.91 (6H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$), 1.41 (6H, d, $J$ = 6.1 Hz, C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.42 (C=O), 168.34 (C), 165.98 (C), 162.38 (C), 150.19 (C), 149.57 (C), 142.68 (CH), 128.53 (C), 125.27 (CH), 122.42 (CH), 114.69 (CH), 111.39 (CH), 106.30 (C), 93.81 (CH), 91.20 (CH), 71.29 (CH), 55.94 ($CH_3$), 55.74 ($CH_3$), 55.50 ($CH_3$), 21.98 (2 × $CH_3$) (Figure S3).
HRMS (ESI) Exact mass calcd for $C_{21}H_{23}O_6$ $[M-H]^+$: 371.1500, found 371.1502.

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(2,4,6-trimethoxyphenyl)prop-2-en-1-one (6)**



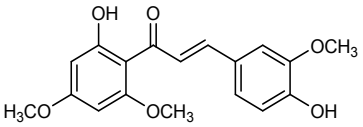
The title compound was prepared according to General Procedure A from xanthoxyline and 2,4,6-trimethoxybenzaldehyde for a reaction time of 96 h and purified by recrystallization (MeOH) to afford an orange solid (102.3 mg, 27.3%).
m.p. 151-152 °C (MeOH);
$R_f$ = 0.37 (50% EtOAc/hexane);
IR (film) 2938, 1611, 1582 (C=O), 1539, 1448, 1319, 1120 (C-O), 1155 (C-O), 1118 (C-O), 817 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.76 (1H, s, O**H**), 8.32 (1H, d, *J* = 15.8 Hz, CH=C**H**), 8.25 (1H, d, *J* = 15.8 Hz, C**H**=CH), 6.13 (2H, s, Ar**H**), 6.10 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.94 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.90 (6H, s, OC**H**$_3$), 3.90 (3H, s, OC**H**$_3$), 3.85 (3H, s, OC**H**$_3$), 3.82 (3H, s, OC**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 194.04 (C=O), 168.23 (C), 165.46 (C), 162.92 (C), 162.44 (C), 161.60 (2 × C), 134.28 (CH), 126.75 (CH), 107.04 (C), 106.67 (C), 93.74 (CH), 90.97 (CH), 90.53 (2 × CH), 55.68 (2 × $CH_3$), 55.50 ($CH_3$), 55.42 ($CH_3$), 55.33 ($CH_3$).
The NMR data were in agreement with the literature.[10]

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(4-hydroxyphenyl)prop-2-en-1-one (Flavokawain C)**



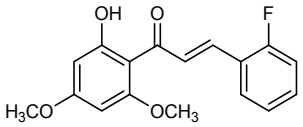

The title compound was prepared according to General Procedure B from xanthoxyline and 4-hydroxybenzaldehyde and purified by recrystallization (MeOH) to afford an orange solid (134 mg, 30%).
m.p. 186-187 °C (MeOH);
$R_f$ = 0.41 (20% EtOAc/hexane);
IR (film) 3600-3100 (br), 2941, 1620 (C=O), 1604, 1582, 1512, 1344, 1213, 1159, 829 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.40 (1H, s, O**H**), 7.81 (1H, d, *J* = 15.5 Hz, CH=C**H**), 7.77 (1H, d, *J* =15.5 Hz, C**H**=CH), 7.55-7.51 (2H, m, Ar**H**), 6.90-6.84 (2H, m, Ar**H**), 6.12 (1H, d, *J* = 2.3 Hz, Ar**H**), 5.98 (1H, d, *J* = 2.3 Hz, Ar**H**), 5.28 (1H, s, O**H**), 3.93 (3H, s, OC**H**$_3$), 3.85 (3H, s, OC**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.62 (C=O), 168.35 (C), 166.06 (C), 162.47 (C), 157.49 (C), 142.33 (CH), 130.31 (2 × CH), 128.54 (C), 125.23 (CH), 115.88 (2 × CH), 106.37 (C), 93.83 (CH) 91.27 (CH), 55.58 ($CH_3$), 55.57 ($CH_3$).
The NMR data were in agreement with the literature.[4-5, 8]

**(*E*)-3-(4-Hydroxy-3-methoxyphenyl)-1-(2-hydroxy-4,6-dimethoxyphenyl)prop-2-en-1-one (7)**




The title compound was prepared according to General Procedure B from xanthoxyline and 4-hydroxy-3-methoxybenzaldehyde and purified by recrystallization (MeOH) to afford a yellow solid (167.4 mg, 35%).
m.p. 113-114 °C (MeOH);
$R_f$ = 0.48 (20% EtOAc/hexane);
IR (film) 2976, 1616 (C=O), 1577, 1557, 1504, 1253, 1207 (C-O), 1107, 1030, 732 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.41 (1H, s, O**H**), 7.79 (1H, d, *J* = 15.5 Hz, CH=C**H**), 7.75 (1H, d, *J* =15.5 Hz, C**H**=CH), 7.21 (1H, dd, *J* = 8.2, 1.9 Hz, Ar**H**), 7.09 (1H, d, *J* = 1.9 Hz, Ar**H**), 6.96 (1H, d, *J* = 8.2 Hz, Ar**H**), 6.12 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.97 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.90 (1H, s, O**H**), 3.96 (3H, s, OC$\mathbf{H}_3$), 3.92 (3H, s, OC$\mathbf{H}_3$), 3.84 (3H, s, OC$\mathbf{H}_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.47 (C=O), 168.35 (C), 166.02 (C), 162.41 (C), 147.86 (C), 146.68 (C), 142.82 (CH), 128.22 (C), 125.17 (CH), 122.63 (CH), 114.86 (CH), 110.54 (CH), 106.34 (C), 93.84 (CH), 91.27 (CH), 55.90 ($CH_3$), 55.81 ($CH_3$), 55.56 ($CH_3$) (Figure S4).
HRMS (ESI) Exact mass calcd for $C_{18}H_{17}O_6$ $[M-H]^+$: 329.1031, found 329.1021.

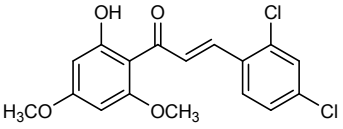
**(*E*)-3-(2-Fluorophenyl)-1-(2-hydroxy-4,6-dimethoxyphenyl)prop-2-en-1-one (8)**




The title compound was prepared according to General Procedure A from xanthoxyline and 2-fluorobenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (228.3 mg, 75.5%).
m.p. 88-89 °C (MeOH);
$R_f$ = 0.40 (20% EtOAc/hexane);
IR (film) 3009, 2941, 1618 (C=O), 1562, 1487, 1456, 1340, 1213 (C-O), 1157, 734 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.25 (1H, s, O**H**), 8.01 (1H, d, *J* = 15.8 Hz, CH=C**H**), 7.85 (1H, d, *J* = 15.8 Hz, C**H**=CH), 7.60 (1H, td, *J* = 7.6, 1.6 Hz, Ar**H**), 7.37-7.31 (1H, m, Ar**H**), 7.18 (1H, td, *J* = 7.6, 0.9 Hz, Ar**H**), 7.12 (1H, dd, *J* = 10.8, 8.3, 0.9 Hz, Ar**H**), 6.11 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.95 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.90 (3H, s, OC$\mathbf{H}_3$), 3.84 (3H, s, OC$\mathbf{H}_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.57 (C=O), 168.41 (C), 166.35 (C), 162.54 (C), 161.64 (d, *J* = 254 Hz, C), 134.80 (CH), 131.23 (d, *J* = 8.7 Hz, CH), 130.18 (d, *J* = 7.5 Hz, CH), 129.66 (d, *J*

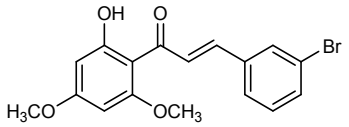
= 2.2 Hz, CH), 124.37 (d, *J* = 3.0 Hz, CH), 123.65 (d, *J* = 11.5 Hz, C), 116.18 (d, *J* = 22.1 Hz, CH), 106.33 (C), 93.78 (CH), 91.23 (CH), 55.73 ($CH_3$), 55.55 ($CH_3$) (Figure S5).
HRMS (ESI) Exact mass calcd for $C_{17}H_{14}FO_4$ $[M-H]^+$: 329.0882, found 329.0897.

**(*E*)-3-(2,4-Dichlorophenyl)-1-(2-hydroxy-4,6-dimethoxyphenyl)prop-2-en-1-one (9)**




The title compound was prepared according to General Procedure A from xanthoxyline and 2,4-dichlorobenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford an orange solid (251.1 mg, 71.1%).
m.p. 165-166 °C (MeOH);
$R_f$ = 0.40 (20% EtOAc/hexane);
IR (film) 2926, 2854, 1630 (C=O), 1584, 1560, 1468, 1439, 1344, 1213 (C-O), 1111, 814 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.16 (1H, s, O**H**), 8.05 (1H, d, *J* = 15.6 Hz, CH=C**H**), 7.84 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.61 (1H, d, *J* = 8.5 Hz, Ar**H**), 7.45 (1H, d, *J* = 2.1 Hz, Ar**H**), 7.29-7.25 (1H, m, Ar**H**), 6.10 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.95 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.90 (3H, s, OC**H**$_3$), 3.84 (3H, s, OC**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 191.96 (C=O), 168.48 (C), 166.50 (C), 162.42 (C), 136.49 (CH), 135.84 (C), 135.82 (C), 132.44 (C), 130.38 (CH), 130.00 (CH), 128.47 (CH), 127.44 (CH), 106.23 (C), 93.83 (CH), 91.31 (CH), 55.86 ($CH_3$), 55.59 ($CH_3$) (Figure S6).
HRMS (ESI) Exact mass calcd for $C_{17}H_{14}Cl_2NaO_4$ $[M+Na]^+$: 375.0161, found 375.0171.

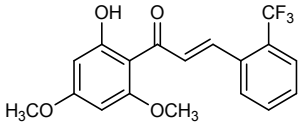
**(*E*)-3-(3-Bromophenyl)-1-(2-hydroxy-4,6-dimethoxyphenyl)prop-2-en-1-one (10)**




The title compound was prepared according to General Procedure A from xanthoxyline and 3-bromobenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (302.8 mg, 83.4%).
m.p. 112-113 °C (MeOH);
$R_f$ = 0.41 (20% EtOAc/hexane);
IR (film) 2941, 1618 (C=O), 1578, 1416, 1339, 1263, 1215 (C-O), 1157, 1113, 737 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.19 (1H, s, O**H**), 7.85 (1H, d, *J* = 15.6 Hz, CH=C**H**), 7.72 (1H, t, *J* = 1.7 Hz, Ar**H**), 7.66 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.51 (1H, d, *J* = 1.8 Hz, Ar**H**), 7.49 (1H, d, *J* = 1.8 Hz, Ar**H**), 7.27 (1H, dd, *J* = 8.7, 7.0 Hz, Ar**H**), 6.11 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.96 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.92 (3H, s, OC**H**$_3$), 3.84 (3H, s, OC**H**$_3$);

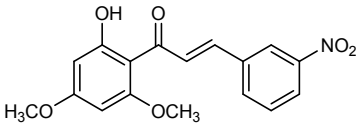
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.17 (C=O), 168.42 (C), 166.42 (C), 162.47 (C), 140.25 (CH), 137.75 (C), 132.67 (CH), 130.83 (CH), 130.32 (CH), 128.89 (CH), 126.93 (CH), 122.95 (C), 106.26 (C), 93.81 (CH), 91.30 (CH), 55.90 ($CH_3$), 55.58 ($CH_3$).
The NMR data were in agreement with the literature.[6, 11]

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(2-(trifluoromethyl)phenyl)prop-2-en-1-one (11)**




The title compound was prepared according to General Procedure A from xanthoxyline and 3-bromobenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (294.2 mg, 83.5%).
m.p. 143-144 °C (MeOH);
$R_f$ = 0.30 (20% EtOAc/hexane);
IR (film) 2949, 1633 (C=O), 1622, 1576, 1439, 1344, 1312, 1215 (C-O), 1112, 816 cm$^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.15 (1H, s, O**H**), 8.10 (1H, dd, *J* = 15.4, 2.1 Hz, CH=C**H**), 7.82 (1H, t, *J* = 15.4 Hz, C**H**=CH), 7.78 (1H, d, *J* = 7.8 Hz, Ar**H**), 7.71 (1H, d, *J* = 7.8 Hz, Ar**H**), 7.59 (1H, t, *J* = 7.6 Hz, Ar**H**), 7.47 (1H, t, *J* = 7.6 Hz, Ar**H**), 6.10 (1H, d, *J* = 2.3 Hz, Ar**H**), 5.95 (1H, d, *J* = 2.3 Hz, Ar**H**), 3.90 (3H, s, OC**H**$_3$), 3.84 (3H, s, OC**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.01 (C=O), 168.47 (C), 166.51 (C), 162.49 (C), 137.12 (CH), 134.63 (C), 131.96 (CH), 131.51 (CH), 129.17 (CH), 129.05 (m, C), 127.90 (CH), 126.14 (d, *J* = 5.5 Hz, CH), 124.03 (q, *J* = 273.9 Hz, C), 106.21 (C), 93.83 (CH), 91.27 (CH), 55.83 ($CH_3$), 55.56 ($CH_3$) (Figure S7).
HRMS (ESI) Exact mass calcd for $C_{18}H_{14}F_3O_4$ $[M-H]^+$: 351.0850, found 351.0857.

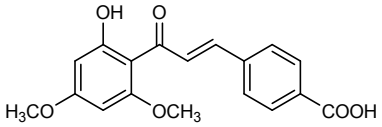
**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(3-nitrophenyl)prop-2-en-1-one (12)**




The title compound was prepared according to General Procedure A from xanthoxyline and 3-nitrobenzaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a yellow solid (261.8 mg, 79.5%).
m.p. 162-163 °C (MeOH);
$R_f$ = 0.33 (20% EtOAc/hexane);
IR (film) 2940, 1636, 1607 (C=O), 1574 (N-O), 1508, 1418, 1342 (N-O), 1263, 1217 (C-O), 1109 cm$^{-1}$;

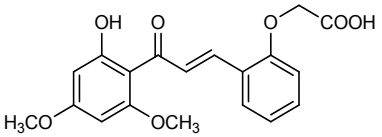
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.09 (1H, s, O**H**), 8.46 (1H, t, *J* = 1.9 Hz, Ar**H**), 8.22 (1H, ddd, *J* = 8.2, 2.2, 0.9 Hz, Ar**H**), 7.98(1H, d, *J* = 15.6 Hz, CH=C**H**), 7.89-7.84 (1H, m, Ar**H**), 7.75 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.59 (1H, t, *J* = 8.0 Hz, Ar**H**), 6.12 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.99 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.95 (3H, s, OC**H**$_3$), 3.85 (3H, s, OC**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 191.85 (C=O), 168.52 (C), 166.71 (C), 162.51 (C), 148.72 (C), 138.80 (CH), 137.45 (C), 134.11 (CH), 130.52 (CH), 129.87 (CH), 124.09(CH), 122.18(CH), 106.23 (C), 93.87 (CH), 91.42 (CH), 55.98 ($CH_3$), 55.65 ($CH_3$).
The NMR data were in agreement with the literature.[2-3, 12-13]

**(*E*)-4-(3-(2-Hydroxy-4,6-dimethoxyphenyl)-3-oxoprop-1-enyl)benzoic acid (13)**




The title compound was prepared according to General Procedure A from xanthoxyline and 4-formylbenzoic acid for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (89.9 mg, 27.4%).
m.p. 237-238 °C (MeOH);
$R_f$ = 0.23 (40% EtOAc/hexane);
IR (film) 2943, 1692, 1606 (C=O), 1566, 1425, 1344, 1269, 1217, 1159, 1114 cm$^{-1}$;
$^1$H NMR (500 MHz, $DMSO_{d-6}$) δ 13.33 (1H, s, O**H**), 13.11 (1H, brs, COO**H**), 8.02-7.96 (2H, m, Ar**H**), 7.86-7.80 (3H, m, CH=C**H** and Ar**H**), 7.66 (1H, dd, *J* = 15.7 Hz, C**H**=CH), 6.15 (2H, dd, J = 12.6, 2.1 Hz, Ar**H**), 3.89 (3H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$);
$^{13}$C NMR (125.8 MHz, $DMSO_{d-6}$) δ 192.10 (C=O), 166.80 (C=O), 165.80 (C), 165.53 (C), 161.98 (C), 140.58 (CH), 138.91 (C), 131.93 (C), 129.85 (2 × CH), 129.67 (CH), 128.43 (2 × CH), 106.34 (C), 93.91 (CH), 91.17 (CH), 56.28 ($CH_3$), 55.71 ($CH_3$) (Figure S8).
HRMS (ESI) Exact mass calcd for $C_{18}H_{15}O_6$ $[M-H]^+$: 327.0874, found 327.0880.

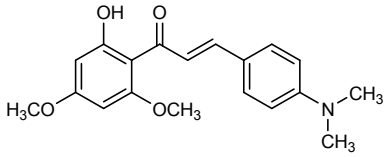
**(*E*)-2-(2-(3-(2-Hydroxy-4,6-dimethoxyphenyl)-3-oxoprop-1-enyl)phenoxy)acetic acid (14)**




The title compound was prepared according to General Procedure A from xanthoxyline and 2-(2-formylphenoxy)acetic acid for a reaction time of 96 h and purified by recrystallization (MeOH) to afford an orange solid (221.4 mg, 61.8%).
m.p. 147-148 °C (MeOH);
$R_f$ = 0.18 (50% EtOAc/hexane);
IR (film) 2914, 1740, 1620 (C=O), 1581, 1570, 1342, 1215, 1157, 1113, 750 cm$^{-1}$;

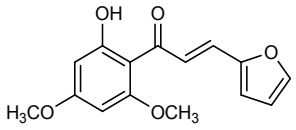
$^1$H NMR (500 MHz, DMSO-$d_6$) δ 13.52 (1H, s, O**H**), 13.13 (1H, brs, COO**H**), 7.98 (1H, d, *J* = 15.9 Hz, CH=C**H**), 7.86 (1H, d, *J* = 15.8 Hz, C**H**=CH), 7.72 (1H, dd, *J* = 7.7, 1.5 Hz, Ar**H**), 7.42-7.37 (1H, m, Ar**H**), 7.04 (1H, t, *J* = 7.5 Hz, Ar**H**), 7.00 (1H, d, *J* = 8.4 Hz, Ar**H**), 6.14 (2H, dd, *J* = 11.9, 2.3 Hz, Ar**H**), 4.83 (2H, s, C**H**$_2$), 3.88 (3H, s, C**H**$_3$), 3.82 (3H, s, C**H**$_3$).
$^{13}$C NMR (125.8 MHz, DMSO-$d_6$) δ 192.57 (C=O), 169.91 (C=O), 165.69 (C), 165.59 (C), 162.00 (C), 156.68 (C), 137.23 (CH), 131.75 (CH), 128.72 (CH), 127.89 (CH), 123.48 (C), 121.35 (CH), 112.56 (CH), 106.34 (C), 93.88 (CH), 91.09 (CH), 64.80 ($CH_2$), 56.14 ($CH_3$), 55.67 ($CH_3$) (Figure S9).
HRMS (ESI) Exact mass calcd for $C_{19}H_{17}O_7$ $[M-H]^+$: 357.0980, found 357.0983.

**(*E*)-3-(4-(Himethylamino)phenyl)-1-(2-hydroxy-4,6-dimethoxyphenyl)prop-2-en-1-one (15)**




The title compound was prepared according to General Procedure A from xanthoxyline and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 96 h and purified by recrystallization (MeOH) to afford a red solid (133.9 mg, 40.9%).
m.p. 193-194 °C (MeOH);
$R_f$ = 0.33 (20% EtOAc/hexane);
IR (film) 2940, 1620, 1685 (C=O), 1525, 1433, 1362, 1207, 1153, 1111, 808 cm$^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 14.67 (1H, s, O**H**), 7.84 (1H, d, *J* = 15.4 Hz, CH=C**H**), 7.76 (1H, d, *J* = 15.4 Hz, C**H**=CH), 7.55-7.50 (2H, m, Ar**H**), 6.73-6.68 (2H, m, Ar**H**), 6.11 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.97 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.92 (3H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$), 3.04 (6H, s, 2 × C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 192.44 (C=O), 168.27 (C=O), 165.59 (C), 162.36 (C), 151.82 (C), 143.95 (CH), 130.33 (2 × CH), 123.37 (C), 122.06 (CH), 111.85 (2 × CH), 106.43 (C), 93.80 (CH), 91.09 (CH), 55.74 ($CH_3$), 55.47 ($CH_3$), 40.10 (2 × $CH_3$).
The NMR data were in agreement with the literature.[7]

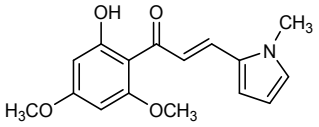
**(*E*)-3-(Huran-2-yl)-1-(2-hydroxy-4,6-dimethoxyphenyl)prop-2-en-1-one (16)**




The title compound was prepared according to General Procedure A from xanthoxyline and furan-2-carbaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford an orange solid (170 mg, 62%).

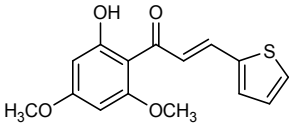
m.p. 93-94 °C (MeOH);
$R_f$ = 0.59 (30% EtOAc/hexane);
IR (film) 2941, 1616 (C=O), 1578, 1547, 1313, 1335, 1279, 1217 (C-O), 1157, 1113 $cm^{-1}$;
$^1H$ NMR ($CDCl_3$, 500 MHz) δ 14.39 (1H, s, O**H**), 7.79 (1H, d, *J* = 15.4 Hz, CH=C**H**), 7.58 (1H, d, *J* = 15.4 Hz, C**H**=CH), 7.52-7.50 (1H, m, Ar**H**), 6.67 (1H, d, *J* = 3.4 Hz, Ar**H**), 6.50 (1H, dd, *J* = 3.4, 1.8 Hz, Ar**H**), 6.10 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.95 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.91 (3H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$);
$^{13}C$ NMR ($CDCl_3$, 125.8 MHz) δ 192.01 (C=O), 168.35 (C), 166.14 (C), 162.49 (C), 152.22 (C), 144.61 (CH), 128.89 (CH), 124.97 (CH), 115.37 (CH), 112.48 (CH), 106.27 (C), 93.71 (CH), 91.14 (CH), 55.71 ($CH_3$), 55.50 ($CH_3$).
The NMR data were in agreement with the literature.[2-3]

**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(1-methyl-1H-pyrrol-2-yl)prop-2-en-1-one (17)**




The title compound was prepared according to General Procedure A from xanthoxyline and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 48 h and purified by flash column chromatography (10% ethyl acetate in hexane) to afford an orange solid (50 mg, 17 %).
m.p. 133-134 °C (MeOH);
$R_f$ = 0.45 (10% EtOAc/hexane);
IR (film) 2922, 1613 (C=O), 1549, 1477, 1334, 1269, 1213 (C-O), 1155, 814, 732 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 14.60 (1H, s, O**H**), 7.82 (1H, d, *J* = 15.3 Hz, CH=C**H**), 7.70 (1H, d, *J* = 15.3 Hz, C**H**=CH), 6.81-6.78 (1H, m, Ar**H**), 6.77 (1H, dd, *J* = 3.9, 1.4 Hz, Ar**H**), 6.22 (1H, dd, *J* = 3.5, 2.7 Hz, Ar**H**), 6.11 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.96 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.90 (3H, s, C**H**$_3$), 3.84 (3H, s, C**H**$_3$), 3.77 (3H, s, C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 191.98 (C=O), 168.27 (C), 165.73 (C), 162.38 (C), 130.86 (C), 130.73 (CH), 127.59 (CH), 122.26 (CH), 112.56 (CH), 109.61 (CH), 106.31 (C), 93.82 (CH), 91.15 (CH), 55.74 ($CH_3$), 55.50 ($CH_3$), 34.45 ($CH_3$) (Figure S10).
HRMS (ESI) Exact mass calcd for $C_{16}H_{17}NNaO_4$ $[M+Na]^+$: 310.1050, found 310.1048.

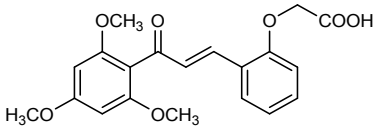
**(*E*)-1-(2-Hydroxy-4,6-dimethoxyphenyl)-3-(thiophen-2-yl)prop-2-en-1-one (18)**



The title compound was prepared according to General Procedure A from xanthoxyline and thiophene-2-carbaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford an orange solid (143.7 mg, 49.5%).
m.p. 118-119 °C (MeOH);
$R_f$ = 0.69 (30% EtOAc/hexane);
IR (ATR) 3103, 3940, 2349, 1612, 1584, 1549, 1437, 1368, 1209, 1155 $cm^{-1}$;
$^1H$ NMR ($CDCl_3$, 500 MHz) δ 14.35 (1H, s, O**H**), 7.92 (1H, d, *J* = 15.3 Hz, CH=C**H**), 7.74 (1H, d, *J* = 15.3 Hz, C**H**=CH), 7.38 (1H, d, *J* = 5.1 Hz, Ar**H**), 7.31 (1H, d, *J* = 3.6 Hz, Ar**H**), 7.10-7.04 (1H, m, Ar**H**), 6.10 (1H, d, *J* = 2.4 Hz, Ar**H**), 5.95 (1H, d, *J* = 2.4 Hz, Ar**H**), 3.91 (3H, s, OC**H**$_3$), 3.83 (3H, s, OC**H**$_3$);
$^{13}C$ NMR ($CDCl_3$, 125.8 MHz) δ 191.86 (C=O), 168.37 (C), 166.17 (C), 162.42 (C), 141.21 (C), 134.99 (CH), 131.24 (CH), 128.23 (CH), 128.18 (CH), 126.48 (CH), 106.17 (C), 93.77 (CH), 91.19 (CH), 55.74 ($CH_3$), 55.52 ($CH_3$) (Figure S11).
HRMS (ESI) Exact mass calcd for $C_{15}H_{14}NaO_4S$ $[M+Na]^+$: 313.0505, found 313.0513.

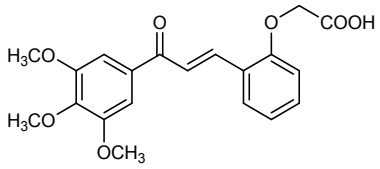
## 2. Spectroscopic data for compounds in Table 2

### (*E*)-2-(2-(3-Oxo-3-(2,4,6-trimethoxyphenyl)prop-1-enyl)phenoxy)acetic acid (14a)



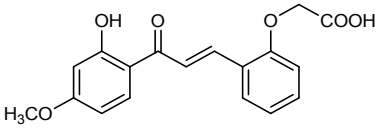

The title compound was prepared according to General Procedure A from 2',4',6'-trimethoxyacetophenone and 2-(2-formylphenoxy)acetic acid for a reaction time of 24 h and purified by recrystalization (MeOH) to afford a pale yellow solid (233 mg, 62.6%).
m.p. 148-149 °C (MeOH);
$R_f$ = 0.24 (EtOAc)
IR (film) 2941, 1754, 1665, 1580 (C=O), 1566, 1414, 1342, 1161, 1132, 754 $cm^{-1}$;
$^1H$ NMR (500 MHz, DMSO-$d_6$) δ 13.08 (1H, brs, COO**H**), 7.67 (1H, dd, *J* = 7.7, 1.4 Hz, Ar**H**), 7.57 (1H, d, *J* = 16.3 Hz, CH=C**H**), 7.39-7.34 (1H, m, Ar**H**), 7.03 (1H, d, *J* = 16.3 Hz, C**H**=CH), 6.99 (1H, t, *J* = 7.6 Hz, Ar**H**), 6.95 (1H, d, *J* = 8.3 Hz, Ar**H**), 6.30 (2H, s, Ar**H**), 4.76 (2H, s, C**H**$_2$), 3.83 (3H, s, C**H**$_3$), 3.72 (6H, s, 2 × C**H**$_3$).
$^{13}C$ NMR (125.8 MHz, DMSO-$d_6$) δ 193.44 (C=O), 169.85 (C=O), 161.90 (C), 158.11 (2 × C), 156.36 (C), 138.35 (CH), 131.76 (CH), 129.51 (CH), 128.76 (CH), 123.04 (C), 121.28 (CH), 112.52 (CH), 111.33 (C), 91.13 (2 × CH), 64.80 ($CH_2$), 55.78 (2 × $CH_3$), 55.44 ($CH_3$) (Figure S12).
HRMS (ESI) Exact mass calcd for $C_{20}H_{21}O_7$ $[M+H]^+$: 373.1287, found 373.1288.

**(*E*)-2-(2-(3-Oxo-3-(3,4,5-trimethoxyphenyl)prop-1-enyl)phenoxy)acetic acid (14b)**




The title compound was prepared according to General Procedure A from 3',4',5'-trimethoxyacetophenone and 2-(2-formylphenoxy)acetic acid for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a pale yellow solid (217.6 mg, 58.4%).
m.p. 179-180 °C (MeOH);
$R_f$ = 0.29 (20% MeOH/EtOAc)
IR (film) 2941, 1755, 1649, 1572 (C=O), 1566, 1414, 1342, 1161, 1126, 756 $cm^{-1}$;
$^1$H NMR (500 MHz, DMSO-$d_6$) δ 13.13 (1H, brs, COO**H**), 8.14 (1H, d, *J* = 15.7 Hz, CH=C**H**), 8.02 (1H, d, *J* = 15.7 Hz, C**H**=CH), 7.94-7.89 (1H, m, Ar**H**), 7.46-7.39 (3H, m, Ar**H**), 7.09-7.03 (2H, m, Ar**H**), 4.86 (2H, s, C$\mathbf{H}_2$), 3.90 (6H, s, 2 × C$\mathbf{H}_3$), 3.77 (3H, s, C$\mathbf{H}_3$).
$^{13}$C NMR (125.8 MHz, DMSO-$d_6$) δ 188.27 (C=O), 169.91 (C=O), 156.88 (C), 152.94 (2 × C), 141.91 (C), 139.14 (CH), 133.19 (C), 131.95 (CH), 130.34 (CH), 123.26 (C), 122.62 (CH), 121.21 (CH), 112.60 (CH), 106.06 (2 × CH), 64.91 ($CH_2$), 60.19 ($CH_3$), 56.18 (2 × $CH_3$) (Figure S13).
HRMS (ESI) Exact mass calcd for $C_{20}H_{21}O_7$ $[M+H]^+$: 373.1287, found 373.1280.

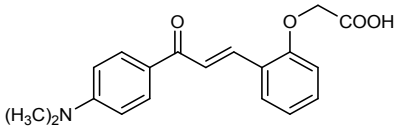
**(*E*)-2-(2-(3-(2-Hydroxy-4-methoxyphenyl)-3-oxoprop-1-enyl)phenoxy)acetic acid (14c)**




The title compound was prepared according to General Procedure A from 2′-hydroxy-4′-methoxyacetophenone and 2-(2-formylphenoxy)acetic acid for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (156.7 mg, 47.7%).
m.p. 191-192 °C (MeOH);
$R_f$ = 0.23 (20% MeOH/EtOAc)
IR (film) 2930, 1744, 1632, 1568 (C=O), 1443, 1364, 1234, 1219, 1132, 758 $cm^{-1}$;
$^1$H NMR (500 MHz, DMSO-$d_6$) δ 13.55 (1H, s, O**H**), 13.26 (1H, brs, COO**H**), 8.30 (1H, d, *J* = 9.1 Hz, Ar**H**), 8.23 (1H, d, *J* = 15.6 Hz, CH=C**H**), 8.09 (1H, d, *J* = 15.6 Hz, C**H**=CH), 7.92 (1H, dd, *J* = 8.0, 1.4 Hz, Ar**H**), 7.46-7.40 (1H, m, Ar**H**), 7.09-7.04 (2H, m, Ar**H**), 6.55 (1H, dd, *J* = 8.9, 2.5 Hz, Ar**H**), 6.52 (1H, dd, *J* = 2.5 Hz, Ar**H**), 4.86 (2H, s, C$\mathbf{H}_2$), 3.85 (3H, s, C$\mathbf{H}_3$).
$^{13}$C NMR (125.8 MHz, DMSO-$d_6$) δ 192.28 (C=O), 170.00 (C=O), 165.96 (C), 165.86 (C), 157.02 (C), 139.59 (CH), 132.51 (CH), 132.26 (CH), 130.71 (CH), 123.01 (C), 121.75 (CH),

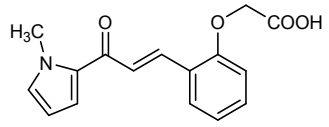
121.27 (CH), 113.93 (C), 112.67 (CH), 107.39 (CH), 100.98 (CH), 64.99 ($CH_2$), 55.75 ($CH_3$) (Figure S14).
HRMS (ESI) Exact mass calcd for $C_{18}H_{17}O_6$ $[M+H]^+$: 329.1025, found 329.1026.

**(*E*)-2-(2-(3-(4-(Dimethylamino)phenyl)-3-oxoprop-1-enyl)phenoxy)acetic acid (14d)**




The title compound was prepared according to General Procedure A from 4′-(*N*,*N*-dimethylamino)acetophenone and 2-(2-formylphenoxy)acetic acid for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (182.2 mg, 56%).
m.p. 163-164 °C (MeOH);
$R_f$ = 0.18 (20% MeOH/EtOAc)
IR (film) 2914, 1742, 1599 (C=O), 1535, 1485, 1375, 1344, 1285, 1188, 1171 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CD_3OD$) δ 8.14 (1H, d, *J* = 15.7 Hz, CH=C**H**), 8.11-8.07 (2H, m, Ar**H**), 7.98 (1H, d, *J* = 15.7 Hz, C**H**=CH), 7.69 (1H, dd, *J* = 7.7, 1.6 Hz, Ar**H**), 7.37 (1H, ddd, *J* = 8.4, 7.5, 1.7 Hz, Ar**H**), 7.05 (1H, td, *J* = 7.5, 0.8 Hz, Ar**H**), 6.99 (1H, d, *J* = 8.0 Hz, ArH), 6.81-6.76 (2H, m, Ar**H**), 4.81 (2H, s, C$\mathbf{H}_2$), 3.09 (6H, s, N(C$\mathbf{H}_3$)$_2$);
$^{13}C$ NMR (125.8 MHz, $CD_3OD$) δ 190.86 (C=O), 171.98 (C=O), 158.64 (C), 155.45 (C), 139.83 (CH), 132.39 (CH), 132.34 (2 × CH), 131.78 (CH), 126.85 (C), 125.74 (C), 124.84 (CH), 122.69 (CH), 113.43 (CH), 112.04 (2 × CH), 66.32 ($CH_2$), 40.12 (2 × $CH_3$) (Figure S15).
HRMS (ESI) Exact mass calcd for $C_{19}H_{20}NO_4$ $[M+H]^+$: 326.1392, found 326.1390.

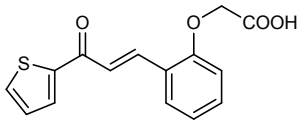
**(*E*)-2-(2-(3-(1-Methyl-1*H*-pyrrol-2-yl)-3-oxoprop-1-enyl)phenoxy)acetic acid (14e)**




The title compound was prepared according to General Procedure A from 2-acetyl-1-methyl pyrrole and 2-(2-formylphenoxy)acetic acid for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a pale yellow solid (89.1 mg, 31.2%).
m.p. 168-169 °C (MeOH);
$R_f$ = 0.18 (20% MeOH/EtOAc)
IR (film) 3718, 2924, 2338, 1736, 1641, 1587 (C=O), 1408, 1217, 1111, 746 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CD_3OD$) δ 7.95 (1H, d, *J* = 15.8 Hz, CH=C**H**), 7.90 (1H, d, *J* = 15.8 Hz, C**H**=CH), 7.64 (1H, dd, *J* = 7.7, 1.6 Hz, Ar**H**), 7.42 (1H, dd, *J* = 4.2, 1.7 Hz, Ar**H**), 7.33 (1H, ddd , *J* = 8.4, 7.5, 1.8 Hz, Ar**H**), 7.04-7.02 (1H, m, Ar**H**), 7.00 (1H, td, *J* = 7.6, 0.8 Hz, Ar**H**),

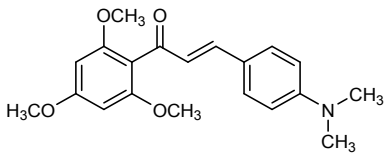
6.96 (1H, d, $J$ = 8.3 Hz, Ar**H**), 6.20 (1H, dd, $J$ = 4.2, 2.5 Hz, Ar**H**), 4.65 (2H, s, C**H**$_2$), 3.99 (3H, s, C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CD_3OD$) δ 182.47 (C=O), 174.04 (C=O), 159.02 (C), 138.60 (CH), 133.71 (CH), 133.42 (C), 132.21 (CH), 131.33 (CH), 126.23 (CH), 125.52 (C), 122.25 (CH), 122.06 (CH), 113.57 (CH), 109.58 (CH), 67.70 ($CH_2$), 37.95 ($CH_3$) (Figure S16).
HRMS (ESI) Exact mass calcd for $C_{16}H_{15}NNaO_4$ $[M+Na]^+$: 308.0899, found 308.0897.

**(*E*)-2-(2-(3-Oxo-3-(thiophen-2-yl)prop-1-enyl)phenoxy)acetic acid (14f)**




The title compound was prepared according to General Procedure B from 2-acetylthiophene and 2-(2-formylphenoxy)acetic acid and purified by recrystallization (MeOH) to afford a light brown solid (355.2 mg, 61.6%).
m.p. 160-161 °C (MeOH);
$R_f$ = 0.38 (EtOAc)
IR (film) 3090, 1748, 1641 (C=O), 1589, 1572, 1412, 1354, 1217, 1065, 752 cm$^{-1}$;
$^1$H NMR (500 MHz, DMSO-$d_6$) δ 13.25 (1H, brs, COO**H**), 8.33 (1H, dd, $J$ = 3.8, 1.0 Hz, Ar**H**), 8.13 (1H, d, $J$ = 15.7 Hz, CH=C**H**), 8.05 (1H, dd, $J$ = 4.9, 1.1 Hz, Ar**H**), 7.98 (1H, d, $J$ = 15.7 Hz, C**H**=CH), 7.88 (1H, dd, $J$ = 8.0, 1.4 Hz, Ar**H**), 7.45-7.39 (1H, m, Ar**H**), 7.30 (1H, dd, $J$ = 4.9, 3.8 Hz, Ar**H**), 7.08-7.03 (2H, m, Ar**H**), 4.86 (2H, s, C**H**$_2$).
$^{13}$C NMR (125.8 MHz, DMSO-$d_6$) δ 182.02 (C=O), 170.06 (C=O), 156.97 (C), 145.85 (C), 138.57 (CH), 135.42 (CH), 133.28 (CH), 132.05 (CH), 130.59 (CH), 128.87 (CH), 122.98 (C), 122.71 (CH), 121.26 (CH), 112.65 (C), 64.97 ($CH_2$) (Figure S17).
HRMS (ESI) Exact mass calcd for $C_{15}H_{12}NaO_4S$ $[M+Na]^+$: 311.0354, found 311.0351.

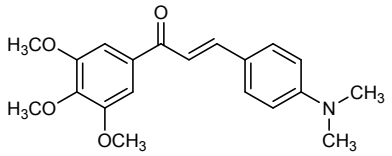
**(*E*)-3-(4-(Dimethylamino)phenyl)-1-(2,4,6-trimethoxyphenyl)prop-2-en-1-one (15a)**




The title compound was prepared according to General Procedure A from 2',4',6'-trimethoxyacetophenone and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (247.5 mg, 72.5%).
m.p. 143-144 °C (MeOH);
$R_f$ = 0.26 (30% EtOAc/hexane);
IR (film) 2938, 1637, 1585 (C=O), 1522, 1224, 1153, 1123 (C-O), 1020, 945, 814 cm$^{-1}$;

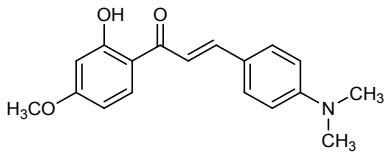
$^1$H NMR (500 MHz, $CDCl_3$) δ 7.42-7.38 (2H, m, Ar**H**), 7.27 (1H, d, *J* = 15.9 Hz, CH=C**H**), 6.79 (1H, d, *J* = 15.9 Hz, C**H**=CH), 6.66-6.62 (2H, m, Ar**H**), 6.16 (2H, s, Ar**H**), 3.85 (3H, s, OC**H**$_3$), 3.75 (6H, s, OC**H**$_3$), 3.01 (6H, s, N(C**H**$_3$)$_2$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 194.51 (C=O), 161.92 (C), 158.51 (2 × C), 151.76 (C), 145.76 (CH), 130.13 (2 × CH), 124.38 (CH), 112.57 (C), 112.29 (C), 111.70 (2 × CH), 90.70 (2 × CH), 55.84 (2 × $CH_3$), 55.36 ($CH_3$), 40.03 (2 × $CH_3$).
The NMR data were in agreement with the literature.[1]

**(*E*)-3-(4-(Dimethylamino)phenyl)-1-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (15b)**




The title compound was prepared according to General Procedure A from 3',4',5'-trimethoxyacetophenone and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a yellow solid (260.1 mg, 76.2%).
m.p. 142-143 °C (MeOH);
$R_f$ = 0.32 (30% EtOAc/hexane);
IR (film) 2938, 1564 (C=O), 1522, 1503, 1412, 1341, 1151, 1123 (C-O), 812, 731 cm$^{-1}$; $^1$H NMR (500 MHz, $CDCl_3$) δ 7.80 (1H, d, *J* = 15.4 Hz, CH=C**H**), 7.57-7.54 (2H, m, Ar**H**), 7.31-7.25 (2H, m, Ar**H** and C**H**=CH), 6.71-6.67 (2H, m, Ar**H**), 3.94 (6H, s, OC**H**$_3$), 3.93 (3H, s, OC**H**$_3$), 3.04 (6H, s, N(C**H**$_3$)$_2$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 189.32 (C=O), 152.99 (2 × C), 152.00 (C), 145.69 (CH), 141.88 (C), 134.40 (C), 130.34 (2 × CH), 122.57 (C), 116.49 (CH), 111.76 (2 × CH), 105.85 (2 × CH), 60.87 ($CH_3$), 56.30 (2 × $CH_3$), 40.03 (2 × $CH_3$).
The NMR data were in agreement with the literature.[14]

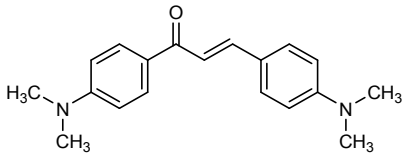
**(*E*)-3-(4-(Dimethylamino)phenyl)-1-(2-hydroxy-4-methoxyphenyl)prop-2-en-1-one (15c)**




The title compound was prepared according to General Procedure A from 2′-hydroxy-4′-methoxyacetophenone and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a red solid (121 mg, 40.7%).
m.p. 154-155 °C (MeOH);
$R_f$ = 0.19 (10% EtOAc/hexane);
IR (film) 2903, 1624, 1602 (C=O), 1543, 1519, 1435, 1356, 1209, 1123 (C-O), 798 cm$^{-1}$;

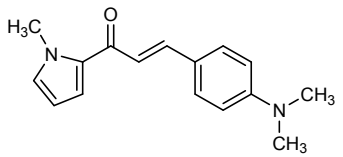
$^1$H NMR (500 MHz, $CDCl_3$) δ 13.81 (1H, s, O**H**), 7.88 (1H, d, *J* = 15.2 Hz, CH=C**H**), 7.86-7.82 (1H, m, Ar**H**), 7.58-7.54 (2H, m, Ar**H**), 7.38 (1H, d, *J* = 15.2 Hz, C**H**=CH), 6.72-6.68 (2H, m, Ar**H**), 7.50-7.46 (2H, m, Ar**H**), 3.85 (3H, s, OC$\mathbf{H}_3$), 3.05 (6H, s, N(C$\mathbf{H}_3$)$_2$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 191.86 (C=O), 166.44 (C), 165.64 (C), 152.13 (C), 145.39 (CH), 130.91 (CH), 130.57 (2 × CH), 122.50 (C), 114.53 (CH), 114.33 (C), 111.78 (2 × CH), 107.06 (CH), 101.03 (CH), 55.47 ($CH_3$), 40.05 (2 × $CH_3$) (Figure S18).
HRMS (ESI) Exact mass calcd for $C_{18}H_{19}NNaO_3$ $[M+Na]^+$: 320.1257, found 320.1259.

**(*E*)-1,3-*bis*(4-(Dimethylamino)phenyl)prop-2-en-1-one (15d)**



The title compound was prepared according to General Procedure A from 4′-(*N*,*N*-dimethylamino)acetophenone and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford an orange solid (187.9 mg, 63.8%).
m.p. 146-147 °C (MeOH);
$R_f$ = 0.40 (30% EtOAc/hexane);
IR (film) 2897, 1638, 1595 (C=O), 1570, 1521, 1366, 1238, 1163, 806, 732 cm$^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 8.04-7.99 (2H, m, Ar**H**), 7.78 (1H, d, *J* = 15.4 Hz, CH=C**H**), 7.58-7.53 (2H, m, Ar**H**), 7.42 (1H, d, *J* = 15.4 Hz, C**H**=CH), 6.73-6.67 (4H, m, Ar**H**), 3.06 (6H, s, 2 × C$\mathbf{H}_3$), 3.03 (6H, s, 2 × C$\mathbf{H}_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 188.02 (C=O), 153.06 (C), 151.60 (C), 143.38 (CH), 130.47 (2 × CH), 129.92 (2 × CH), 126.65 (C), 123.27 (C), 117.05 (CH), 111.82 (2 × CH), 110.75 (2 × CH), 40.09 (2 × $CH_3$), 39.98 (2 × $CH_3$) (Figure S19).
HRMS (ESI) Exact mass calcd for $C_{19}H_{22}N_2NaO$ $[M+Na]^+$: 317.1624, found 317.1614.

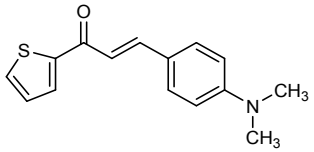
**(*E*)-3-(4-(Dimethylamino)phenyl)-1-(1-methyl-1H-pyrrol-2-yl)prop-2-en-1-one (15e)**



The title compound was prepared according to General Procedure A from 2-acetyl-1-methyl pyrrole and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford an orange solid (94.9 mg, 37.3%).
m.p. 91-92 °C (MeOH);
$R_f$ = 0.43 (20% EtOAc/hexane);
IR (film) 2857, 1638, 1568 (C=O), 1524, 1404, 1361, 1182, 1063, 987 cm$^{-1}$;

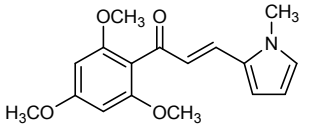
$^1$H NMR (500 MHz, $CDCl_3$) δ 7.71 (1H, d, *J* = 15.5 Hz, CH=C**H**), 7.55-7.50 (2H, m, Ar**H**), 7.24 (1H, d, *J* = 15.5 Hz, C**H**=CH), 7.09 (1H, dd, *J* = 4.1, 1.7 Hz, Ar**H**), 6.85 (1H, t, *J* = 1.9 Hz, Ar**H**), 6.73-6.68 (2H, m, Ar**H**), 6.19 (1H, dd, *J* = 4.1, 2.5 Hz, Ar**H**), 4.04 (3H, s, C**H**$_3$), 3.04 (6H, s, 2 × C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 180.37 (C=O), 151.61 (C=O), 142.21 (CH), 132.36 (C), 130.88 (CH), 129.88 (2 × CH), 123.07 (C), 118.61 (CH), 118.24 (CH), 111.85 (2 × CH), 107.88 (CH), 40.13 (2 × $CH_3$), 37.70 ($CH_3$) (Figure S20).
HRMS (ESI) Exact mass calcd for $C_{16}H_{18}N_2NaO$ $[M+Na]^+$: 277.1311, found 277.1309.

**(*E*)-3-(4-(Dimethylamino)phenyl)-1-(thiophen-2-yl)prop-2-en-1-one (15f)**




The title compound was prepared according to General Procedure A from 2-acetylthiophene and 4-(*N*,*N*-dimethylamino)benzaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a red solid (78.5 mg, 30.5%).
m.p. 102-103 °C (MeOH);
$R_f$ = 0.56 (10% EtOAc/hexane);
IR (film) 3080, 2903, 1635, 1562, 1521 (C=O), 1414, 1352, 1166, 979, 812 cm$^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 7.84 (1H, d, *J* = 15.4 Hz, CH=C**H**), 7.84 (1H, dd, *J* = 3.8, 1.1 Hz, Ar**H**), 7.63 (1H, dd, *J* = 4.9, 1.1 Hz, Ar**H**), 7.58-7.54 (2H, m, Ar**H**), 7.24 (1H, d, *J* = 15.4 Hz, C**H**=CH), 7.17 (1H, dd, J = 4.9, 3.8 Hz, Ar**H**), 6.73-6.68 (2H, m, Ar**H**), 3.05 (6H, s, 2 × C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 182.12 (C=O), 152.06 (C), 146.32 (C), 144.95 (CH), 132.77 (CH), 130.85 (CH), 130.43 (2 × CH), 128.00 (CH), 122.47 (C), 116.34 (CH), 111.80 (2 × CH), 40.09 (2 × $CH_3$) (Figure S21).
HRMS (ESI) Exact mass calcd for $C_{15}H_{15}NNaOS$ $[M+Na]^+$: 280.0767, found 280.0760.

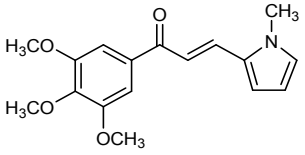
**(*E*)-3-(1-Methyl-1H-pyrrol-2-yl)-1-(2,4,6-trimethoxyphenyl)prop-2-en-1-one (17a)**




The title compound was prepared according to General Procedure A from 2',4',6'-trimethoxyacetophenone and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a light green solid (154.1 mg, 51.1 %).
m.p. 115-116 °C (MeOH);
$R_f$ = 0.29 (20% EtOAc/hexane);

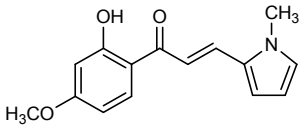
IR (film) 2940, 1603, 1582 (C=O), 1456, 1410, 1263, 1155, 1123, 1022, 729 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 7.37 (1H, d, *J* = 15.7 Hz, CH=C**H**), 6.78-6.75 (1H, m, Ar**H**), 6.72 (1H, d, *J* = 15.7 Hz, C**H**=CH), 6.68 (1H, dd, *J* = 3.9, 1.5 Hz, Ar**H**), 6.17 (1H, dd, *J* = 3.7, 2.7 Hz, Ar**H**), 6.16 (2H, s, Ar**H**), 3.86 (3H, s, C$\mathbf{H}_3$), 3.78 (6H, s, 2 × C$\mathbf{H}_3$), 3.67 (3H, s, C$\mathbf{H}_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 193.38 (C=O), 162.16 (C), 158.74 (2 × C), 131.59 (CH), 129.88 (C), 127.49 (CH), 124.17 (CH), 112.80 (CH), 112.52 (C), 109.48 (CH), 90.75 (2 × CH), 55.91 (2 × $CH_3$), 55.40 ($CH_3$), 34.47 ($CH_3$) (Figure S22).
HRMS (ESI) Exact mass calcd for $C_{17}H_{19}NNaO_4$ $[M+Na]^+$: 324.1206, found 324.1217.

**(*E*)-3-(1-Methyl-1H-pyrrol-2-yl)-1-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (17b)**




The title compound was prepared according to General Procedure A from 3',4',5'-trimethoxyacetophenone and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a yellow solid (101.6 mg, 33.7 %).
m.p. 80-81 °C (MeOH);
$R_f$ = 0.24 (20% EtOAc/hexane);
IR (film) 2940, 1645, 1560 (C=O), 1479, 1410, 1333, 1269, 1159, 1123, 1057 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 7.82 (1H, d, *J* = 15.1 Hz, CH=C**H**), 7.25 (1H, d, *J* = 15.1 Hz, C**H**=CH), 6.87 (1H, dd, *J* = 3.9, 1.4 Hz, Ar**H**), 6.85-6.82 (1H, m, Ar**H**), 6.24 (1H, ddd, *J* = 3.9, 2.5, 0.5 Hz, Ar**H**), 3.96 (6H, 2 × C$\mathbf{H}_3$), 3.94 (3H, C$\mathbf{H}_3$), 3.78 (3H, C$\mathbf{H}_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 188.70 (C=O), 153.09 (2 × C), 142.14 (C), 134.15 (C), 132.16 (CH), 130.27 (C), 127.83 (CH), 116.47 (CH), 112.26 (CH), 109.76 (CH), 105.82 (2 × CH), 60.94 ($CH_3$), 56.37 (2 × $CH_3$), 34.35 ($CH_3$) (Figure S23).
HRMS (ESI) Exact mass calcd for $C_{17}H_{19}NNaO_4$ $[M+Na]^+$: 324.1206, found 324.1202.

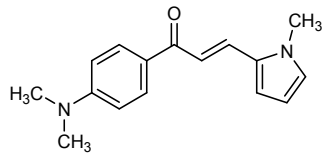
**(*E*)-1-(2-Hydroxy-4-methoxyphenyl)-3-(1-methyl-1H-pyrrol-2-yl)prop-2-en-1-one (17c)**




The title compound was prepared according to General Procedure A from 2′-hydroxy-4′-methoxyacetophenone and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a light green solid (59 mg, 22.9 %).
m.p. 130-131 °C (MeOH);
$R_f$ = 0.19 (10% EtOAc/hexane);

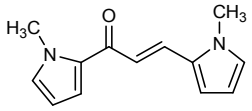
IR (film) 3107, 1618, 1545 (C=O), 1481, 1373, 1261, 1217, 1128, 1059, 731 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 13.73 (1H, s, O**H**), 7.87 (1H, d, *J* = 15.0 Hz, CH=C**H**), 7.81-7.78 (1H, m, Ar**H**), 7.31 (1H, d, *J* = 15.0 Hz, C**H**=CH), 6.88-6.86 (1H, m, Ar**H**), 6.85-6.83 (1H, m, Ar**H**), 6.50-6.46 (2H, m, Ar**H**), 6.25 (1H, ddd, *J* = 4.0, 2.6, 0.6 Hz, Ar**H**), 3.86 (3H, s, C**H**$_3$), 3.79 (3H, s, C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 191.47 (C=O), 166.45 (C), 165.80 (C), 131.82 (CH), 130.83 (CH), 130.28 (C), 128.10 (CH), 114.85 (CH), 114.19 (C), 112.74 (CH), 109.97 (CH), 107.44 (CH), 101.05 (CH), 55.52 ($CH_3$), 34.35 ($CH_3$) (Figure S24).
HRMS (ESI) Exact mass calcd for $C_{15}H_{15}NNaO_3$ $[M+Na]^+$: 280.0944, found 280.0948.

**(*E*)-1-(4-(Dimethylamino)phenyl)-3-(1-methyl-1H-pyrrol-2-yl)prop-2-en-1-one (17d)**




The title compound was prepared according to General Procedure A from 4′-(*N*,*N*-dimethylamino)acetophenone and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (86.2 mg, 33.9 %).
m.p. 195-196 °C (MeOH);
$R_f$ = 0.39 (30% EtOAc/hexane);
IR (film) 3104, 2916, 1601, 1562 (C=O), 1483, 1377, 1279, 1238, 1190, 820 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 8.02-7.98 (2H, m, Ar**H**), 7.79 (1H, d, *J* = 15.2 Hz, CH=C**H**), 7.37 (1H, d, *J* = 15.2 Hz, C**H**=CH), 6.81 (1H, dd, *J* = 3.9, 1.3 Hz, Ar**H**), 6.80-6.77 (1H, m, Ar**H**), 6.73-6.68 (2H, m, Ar**H**), 6.23-6.20 (1H, m, Ar**H**), 3.76 (3H, s, C**H**$_3$), 3.07 (6H, s, 2 × C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 187.40 (C=O), 153.16 (C), 130.62 (C), 130.44 (2 × CH), 130.19 (CH), 126.83 (CH), 126.40 (C), 117.20 (CH), 111.16 (CH), 110.78 (2 × CH), 109.30 (CH), 39.99 (2 × $CH_3$), 34.28 ($CH_3$) (Figure S25).
HRMS (ESI) Exact mass calcd for $C_{16}H_{18}N_2NaO$ $[M+Na]^+$: 277.1311, found 277.1301.

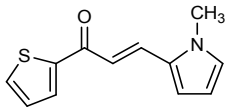
**(*E*)-1,3-bis(1-Methyl-1H-pyrrol-2-yl)prop-2-en-1-one (17e)**




The title compound was prepared according to General Procedure A from 2-acetyl-1-methyl pyrrole and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a light brown solid (44.4 mg, 20.7 %).
m.p. 111-112 °C (MeOH);
$R_f$ = 0.34 (20% EtOAc/hexane);

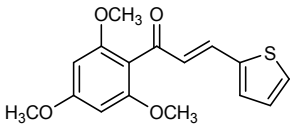
IR (film) 3103, 2943, 1634, 1572 (C=O), 1458, 1400, 1375, 1267, 1045, 723 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 7.71 (1H, d, *J* = 15.2 Hz, CH=C**H**), 7.18 (1H, d, *J* = 15.2 Hz, C**H**=CH), 7.07 (1H, dd, 4.1, 1.6 Hz, Ar**H**), 6.87-6.85 (1H, m, Ar**H**), 6.79-6.76 (2H, m, Ar**H**), 6.23-6.20 (1H, m, Ar**H**), 6.19 (1H, dd, *J* = 4.1, 2.5 Hz, Ar**H**), 4.04 (3H, s, C**H**$_3$), 3.76 (3H, s, C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 179.95 (C=O), 132.23 (C), 131.14 (CH), 130.37 (C), 129.10 (CH), 126.80 (CH), 118.92 (CH), 118.43 (CH), 111.16 (CH), 109.33 (CH), 108.06 (CH), 37.75 ($CH_3$), 34.27 ($CH_3$) (Figure S26).
HRMS (ESI) Exact mass calcd for $C_{26}H_{28}N_4NaO_2$ $[2M+Na]^+$: 451.2104, found 451.2116.

**(*E*)-3-(1-Methyl-1H-pyrrol-2-yl)-1-(thiophen-2-yl)prop-2-en-1-one (17f)**




The title compound was prepared according to General Procedure A from 2-acetylthiophene and *N*-methyl-2-pyrrolecarboxaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a light brown solid (56.1 mg, 25.8 %).
m.p. 100-101 °C (MeOH);
$R_f$ = 0.53 (20% EtOAc/hexane);
IR (film) 3101, 2922, 1633, 1566 (C=O), 1516, 1479, 1408, 1275, 1057, 727 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 7.82 (1H, d, *J* = 15.1 Hz, CH=C**H**), 7.82 (1H, dd, *J* = 3.8, 1.1 Hz, Ar**H**), 7.64 (1H, dd, *J* = 4.9, 1.1 Hz, Ar**H**), 7.20-7.16 (2H, m, C**H**=CH and Ar**H**), 6.87-6.85 (1H, m, Ar**H**), 6.85-6.81 (1H, m, Ar**H**), 6.24 (1H, ddd, *J* = 3.9, 2.6, 0.5 Hz, Ar**H**), 3.78 (3H, s, C**H**$_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 181.79 (C=O), 146.11 (C), 133.01 (CH), 131.50 (CH), 130.92 (CH), 130.09 (C), 128.09 (CH), 127.88 (CH), 116.45 (CH), 112.44 (CH), 109.80 (CH), 34.36 ($CH_3$) (Figure S27).
HRMS (ESI) Exact mass calcd for $C_{12}H_{11}NNaOS$ $[M+Na]^+$: 240.0454, found 240.0461.

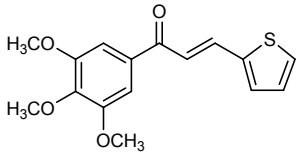
**(*E*)-3-(Thiophen-2-yl)-1-(2,4,6-trimethoxyphenyl)prop-2-en-1-one (18a)**




The title compound was prepared according to General Procedure A from 2',4',6'-trimethoxyacetophenone and thiophene-2-carbaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a pale yellow solid (203.1 mg, 68.1%).
m.p. 95-96 °C (MeOH);
$R_f$ = 0.40 (30% EtOAc/hexane);

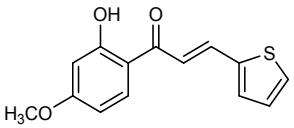
IR (film) 2930, 1643, 1601, 1583 (C=O), 1454, 1411, 1267, 1224, 1203, 1123 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 7.47 (1H, d, *J* = 15.7 Hz, CH=C**H**), 7.38 (1H, d, *J* = 5.1 Hz, Ar**H**), 7.24-7.21 (1H, m, Ar**H**), 7.04 (1H, dd, *J* = 5.1, 3.6 Hz, Ar**H**), 6.77 (1H, d, *J* = 15.7 Hz, C**H**=CH), 6.16 (2H, s, Ar**H**), 3.86 (3H, s, C$\mathbf{H}_3$), 3.77 (6H, s, 2 × C$\mathbf{H}_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 193.53 (C), 162.42 (C), 158.83 (2 × C), 140.36 (C), 136.39 (CH), 131.00 (CH), 128.56 (CH), 128.08 (2 × CH), 111.64 (C), 90.71 (2 × CH), 55.88 (2 × $CH_3$), 55.40 ($CH_3$) (Figure S28).
HRMS (ESI) Exact mass calcd for $C_{16}H_{16}NaO_4S$ $[M+Na]^+$: 327.0662, found 327.0690.

**(*E*)-3-(Thiophen-2-yl)-1-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (18b)**




The title compound was prepared according to General Procedure A from 3',4',5'-trimethoxyacetophenone and thiophene-2-carbaldehyde for a reaction time of 12 h and purified by recrystallization (MeOH) to afford a light cream solid (214.3 mg, 70.4%).
m.p. 65-66 °C (MeOH);
$R_f$ = 0.43 (20% EtOAc/hexane);
IR (film) 2938, 1649, 1572 (C=O), 1503, 1412, 1329, 1155, 1121, 999, 706 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 7.95 (1H, d, *J* = 15.3 Hz, CH=C**H**), 7.44-7.42 (1H, m, Ar**H**), 7.38 (1H, d, *J* = 3.6 Hz, Ar**H**), 7.28 (1H, d, *J* = 15.3 Hz, C**H**=CH), 7.26 (2H, s, Ar**H**), 7.10 (1H, dd, *J* = 5.0, 3.6 Hz, Ar**H**), 3.95 (6H, 2 × C$\mathbf{H}_3$), 3.94 (3H, C$\mathbf{H}_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 188.59 (C=O), 153.14 (2 × C), 142.54 (C), 140.33 (C), 137.11 (CH), 133.43 (C), 131.98 (CH), 128.74 (CH), 128.37 (CH), 120.47 (CH), 106.05 (2 × CH), 60.95 (2 × $CH_3$), 56.41 ($CH_3$) (Figure S29).
HRMS (ESI) Exact mass calcd for $C_{16}H_{16}NaO_4S$ $[M+Na]^+$: 327.0662, found 327.0647.

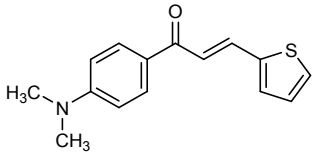
**(*E*)-1-(2-Hydroxy-4-methoxyphenyl)-3-(thiophen-2-yl)prop-2-en-1-one (18c)**




The title compound was prepared according to General Procedure A from 2′-hydroxy-4′-methoxyacetophenone and thiophene-2-carbaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a light green solid (196.1 mg, 75.3%).
m.p. 83-84 °C (MeOH);
$R_f$ = 0.19 (10% EtOAc/hexane);

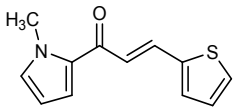
IR (film) 2936, 1625, 1566 (C=O), 1504, 1371, 1273, 1238, 1215, 1128, 1018 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 13.47 (1H, s, O**H**), 8.01 (1H, d, *J* = 15.1 Hz, CH=C**H**), 7.78 (1H, d, *J* = 8.9 Hz, C**H**=CH), 7.44 (1H, d, *J* = 5.0 Hz, Ar**H**), 7.39-7.32 (2H, m, Ar**H**), 7.10 (1H, dd, *J* = 4.8, 3.8 Hz, Ar**H**), 6.51-6.46 (2H, m, Ar**H**), 3.86 (3H, s, C$\mathbf{H}_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 191.22 (C=O), 166.60 (C), 166.16 (C), 140.29 (C), 136.77 (CH), 132.18 (CH), 131.07 (CH), 129.05 (CH), 128.38 (CH), 119.06 (CH), 113.97 (C), 107.69 (CH), 101.03 (CH), 55.53 ($CH_3$) (Figure S30).
HRMS (ESI) Exact mass calcd for $C_{14}H_{11}O_3S$ $[M-H]^+$: 259.0434, found 259.0437.

**(*E*)-1-(4-(Dimethylamino)phenyl)-3-(thiophen-2-yl)prop-2-en-1-one (18d)**




The title compound was prepared according to General Procedure A from 4′-(*N*,*N*-dimethylamino)acetophenone and thiophene-2-carbaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a yellow solid (174.3 mg, 48%).
m.p. 184-185 °C (MeOH);
$R_f$ = 0.58 (310% EtOAc/hexane);
IR (film) 3075, 1608, 1560 (C=O), 1543, 1535, 1340, 1188, 978, 815, 732 $cm^{-1}$;
$^1H$ NMR (500 MHz, $CDCl_3$) δ 8.02-7.96 (2H, m, Ar**H**), 7.92 (1H, d, *J* = 15.2 Hz, CH=C**H**), 7.40 (1H, d, *J* = 15.2 Hz, C**H**=CH), 7.37 (1H, d, *J* = 5.1 Hz, Ar**H**), 7.32 (1H, d, *J* = 3.5 Hz, Ar**H**), 7.07 (1H, dd, *J* = 5.0, 3.7 Hz, Ar**H**), 6.73-6.67 (2H, m, Ar**H**), 3.07 (6H, s, 2 × C$\mathbf{H}_3$);
$^{13}C$ NMR (125.8 MHz, $CDCl_3$) δ 187.08 (C=O), 153.36 (C), 140.98 (C), 134.95 (CH), 130.98 (CH), 130.68 (2 × CH), 128.11 (CH), 127.72 (CH), 125.83 (C), 121.09 (CH), 110.78 (2 × CH), 39.97 (2 × $CH_3$) (Figure S31).
HRMS (ESI) Exact mass calcd for $C_{15}H_{15}NNaOS$ $[M+Na]^+$: 280.0767, found 280.0758.

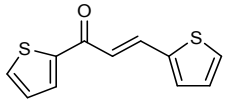
**(*E*)-1-(1-Methyl-1H-pyrrol-2-yl)-3-(thiophen-2-yl)prop-2-en-1-one (18e)**




The title compound was prepared according to General Procedure A from 2-acetyl-1-methyl pyrrole and thiophene-2-carbaldehyde for a reaction time of 48 h and purified by recrystallization (MeOH) to afford a light green solid (175.6 mg, 80.8%).
m.p. 84-85 °C (MeOH);
$R_f$ = 0.22 (10% EtOAc/hexane);
IR (film) 3103, 2947, 1638, 1582 (C=O), 1526, 1402, 1379, 1209, 1064, 988 $cm^{-1}$;

$^1$H NMR (500 MHz, $CDCl_3$) δ 7.85 (1H, d, *J* = 15.3 Hz, CH=C**H**), 7.36 (1H, d, *J* = 5.6 Hz, Ar**H**), 7.30 (1H, d, *J* = 3.6 Hz, Ar**H**), 7.21 (1H, d, *J* = 15.3 Hz, C**H**=CH), 7.09 (1H, dd, *J* = 4.1 Hz, Ar**H**), 7.07 (1H, dd, *J* = 4.1, 3.6 Hz, Ar**H**), 6.86-6.88 (1H, m, Ar**H**), 6.20 (1H, dd, *J* = 4.1, 2.5 Hz, Ar**H**), 4.03 (3H, s, C**H**$_3$);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 179.20 (C=O), 140.65 (C), 133.85 (CH), 131.86 (C), 131.60 (CH), 130.85 (CH), 128.07 (CH), 127.71 (CH), 122.63 (CH), 119.12 (CH), 108.22 (CH), 37.69 ($CH_3$) (Figure S32).
HRMS (ESI) Exact mass calcd for $C_{12}H_{11}NNaOS$ $[M+Na]^+$: 240.0454, found 240.0464.

**(*E*)-1,3-Di(thiophen-2-yl)prop-2-en-1-one (18f)**




The title compound was prepared according to General Procedure A from 2-acetylthiophene and thiophene-2-carbaldehyde for a reaction time of 24 h and purified by recrystallization (MeOH) to afford a pale yellow solid (170.7 mg, 77.5%).
m.p. 93-94 °C (MeOH);
$R_f$ = 0.34 (10% EtOAc/hexane);
IR (film) 3101, 1638, 1578 (C=O), 1518, 1410, 1281, 1240, 1213, 968, 706 $cm^{-1}$;
$^1$H NMR (500 MHz, $CDCl_3$) δ 7.96 (1H, d, *J* = 15.2 Hz, CH=C**H**), 7.84 (1H, dd, *J* = 3.8, 1.1 Hz, Ar**H**), 7.67 (1H, dd, *J* = 4.9, 1.1 Hz, Ar**H**), 7.42 (1H, d, *J* = 5.1 Hz, Ar**H**), 7.36 (1H, d, *J* = 3.6 Hz, Ar**H**), 7.21 (1H, d, *J* = 15.2 Hz, C**H**=CH), 7.17 (1H, dd, *J* = 4.9, 3.8 Hz, Ar**H**), 7.09 (1H, dd, *J* = 5.1, 3.6 Hz, Ar**H**);
$^{13}$C NMR (125.8 MHz, $CDCl_3$) δ 181.48 (C=O), 145.43 (C), 140.06 (C), 136.35 (CH), 133.75 (CH), 132.06 (CH), 131.61 (CH), 128.81 (CH), 128.31 (CH), 128.17 (CH), 120.35 (CH) (Figure S33).
HRMS (ESI) Exact mass calcd for $C_{11}H_8NaOS$ $[M+Na]^+$: 242.9909, found 242.9915.

## 3. $^{1}$H NMR and $^{13}$C NMR spectra for new compounds

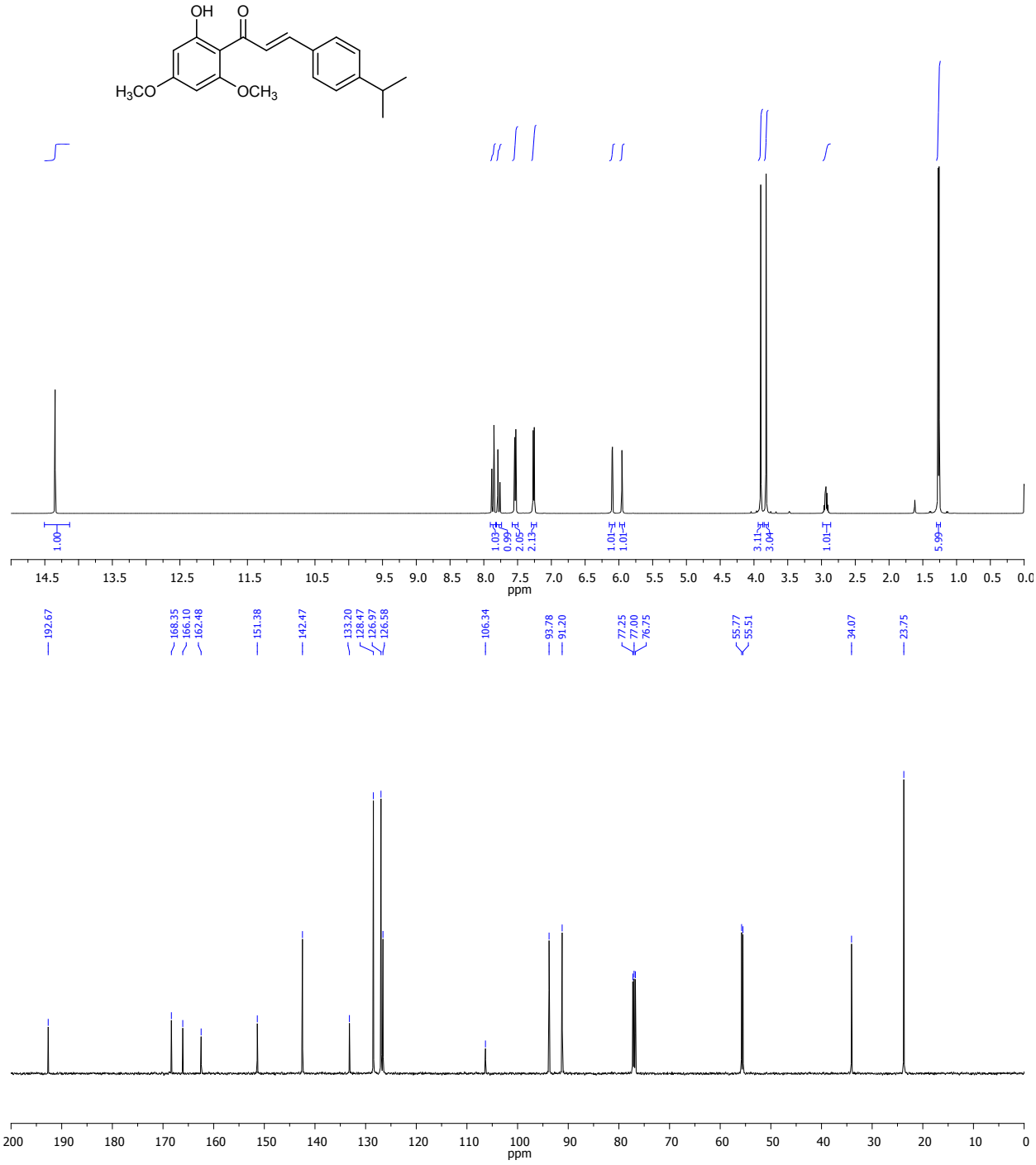
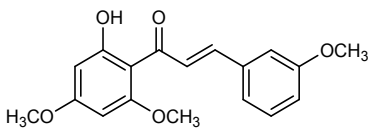



**Figure S1.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **2**



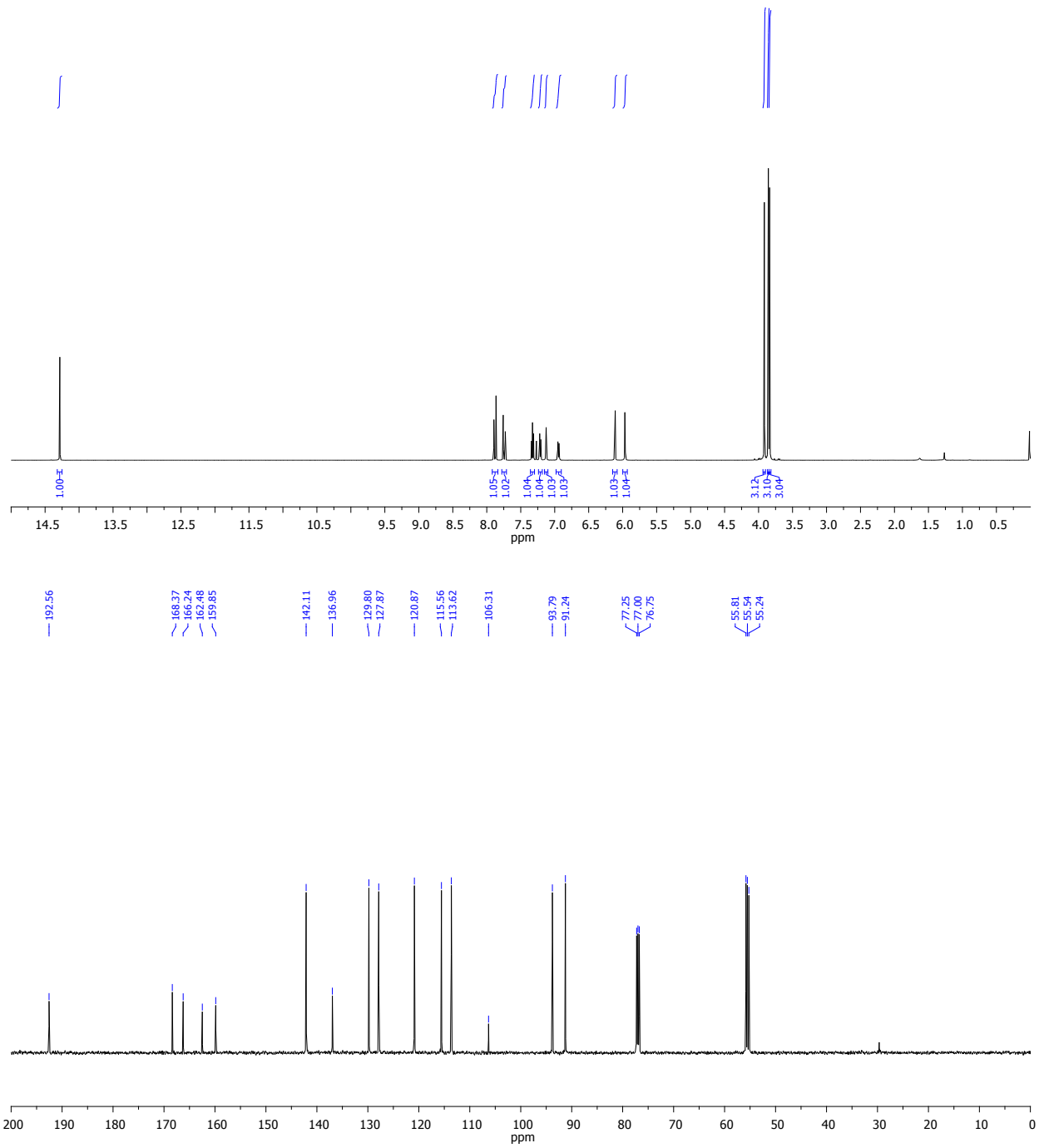



**Figure S2.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **3**

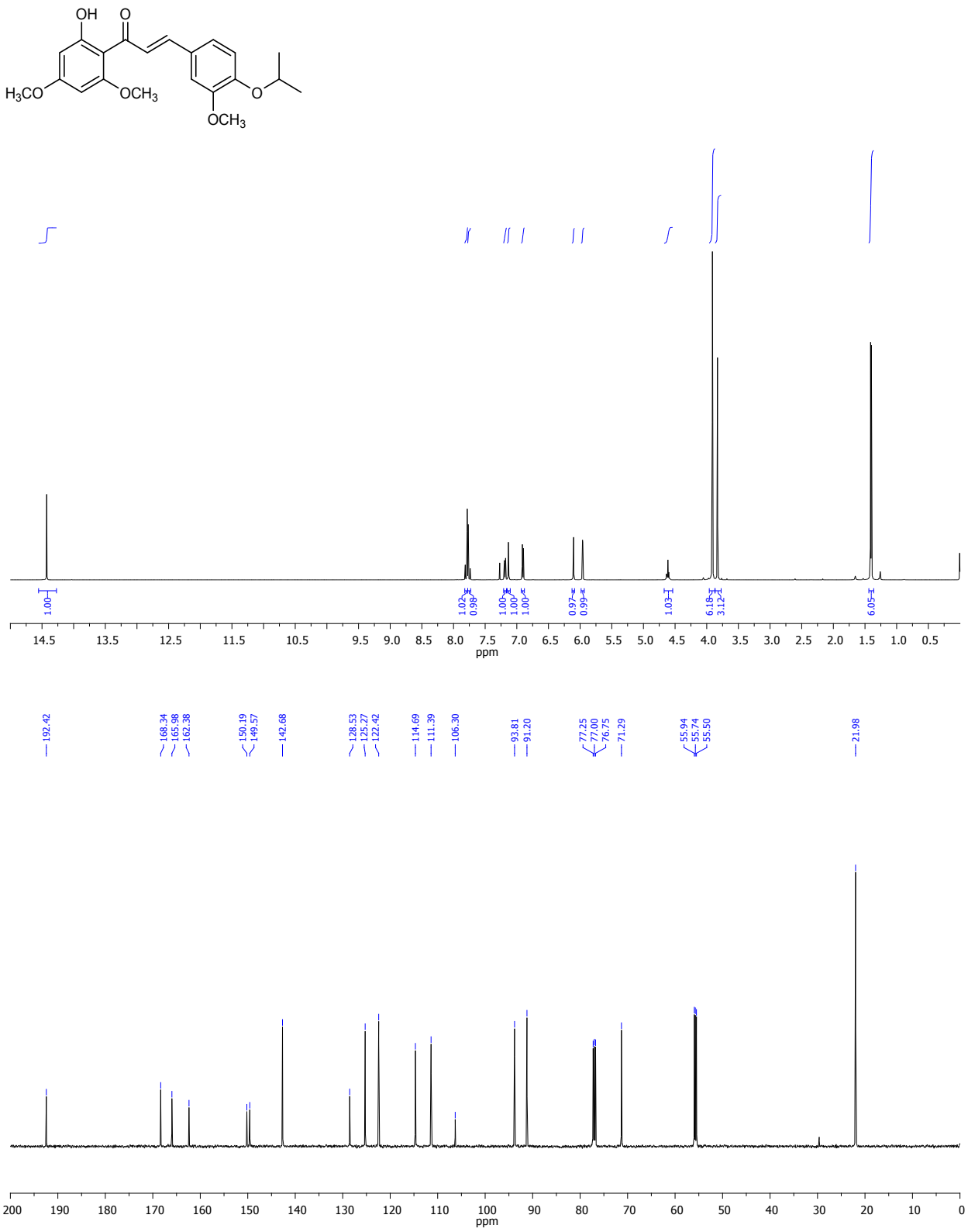



**Figure S3.** $^{1}H$ NMR and $^{13}C$ NMR spectra of compound **5**

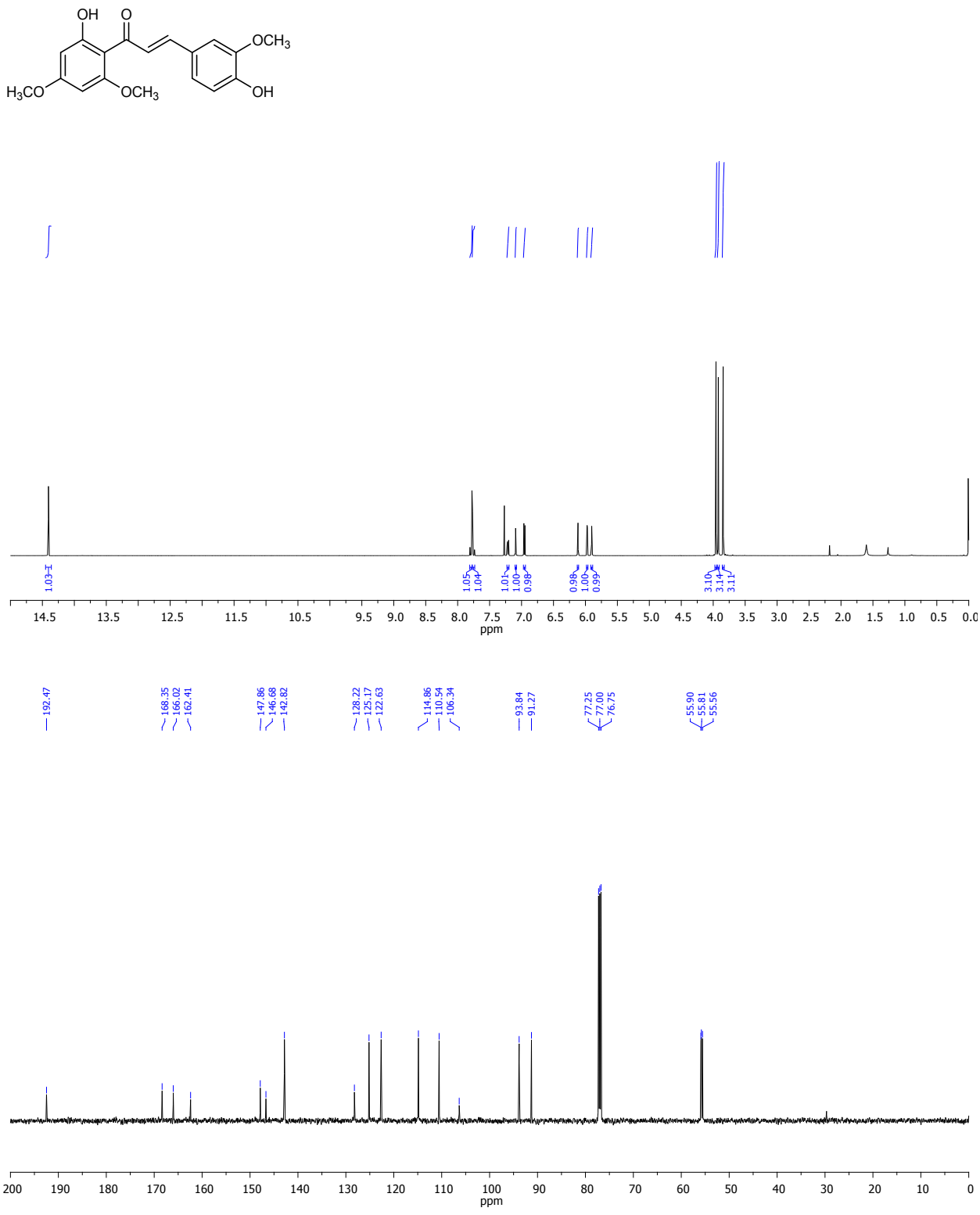



**Figure S4.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **7**

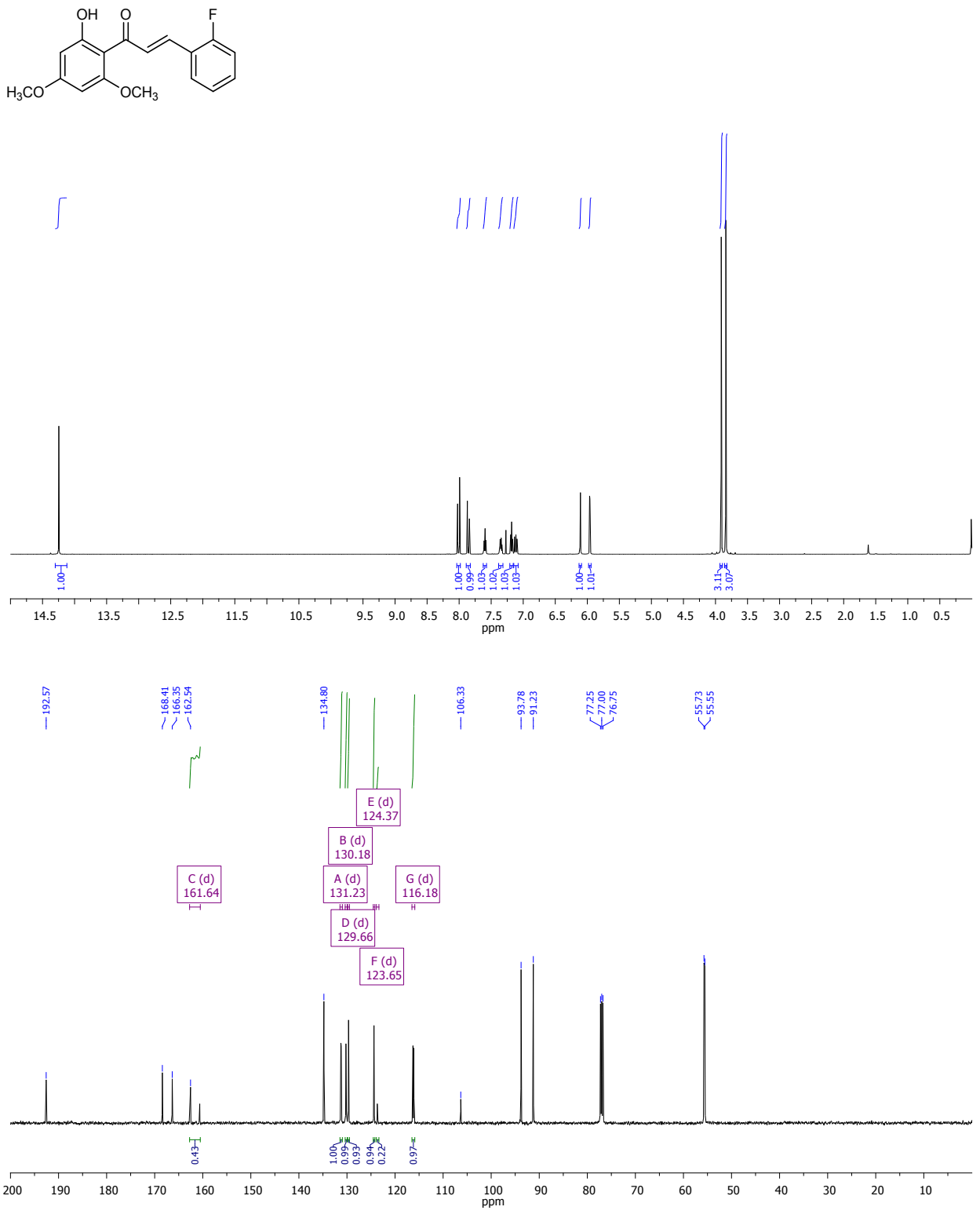



**Figure S5.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **8**

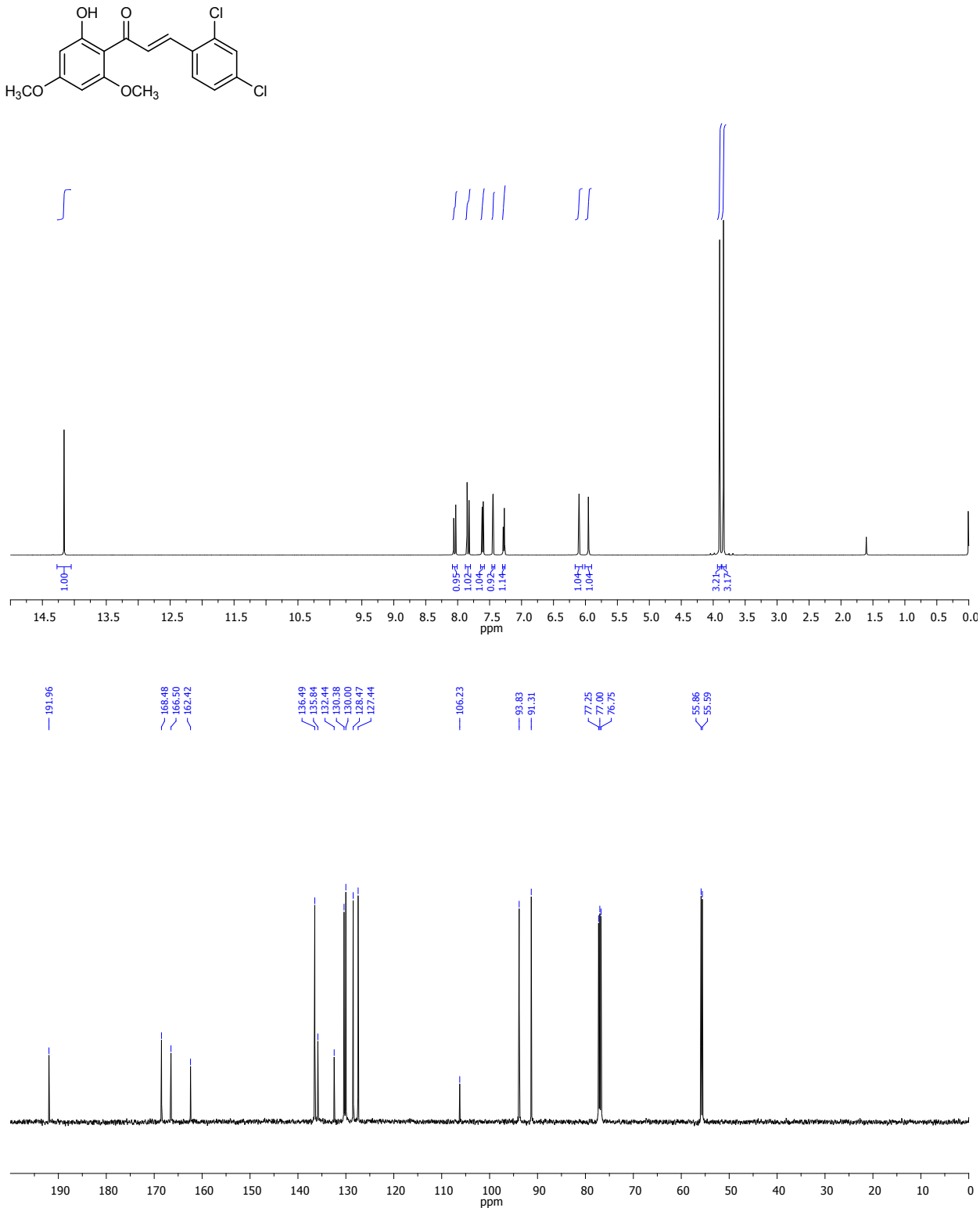



**Figure S6.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **9**

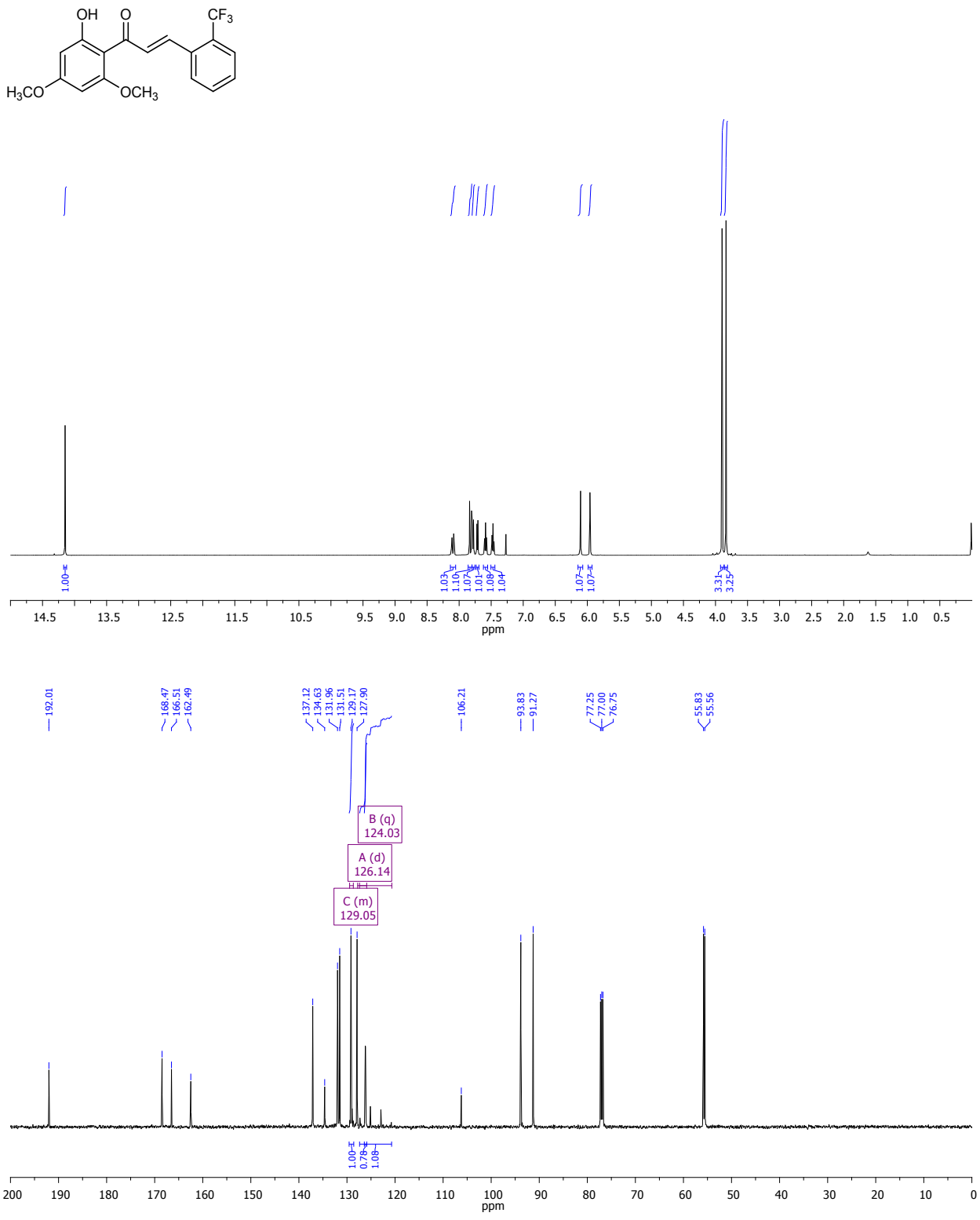



**Figure S7.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **11**

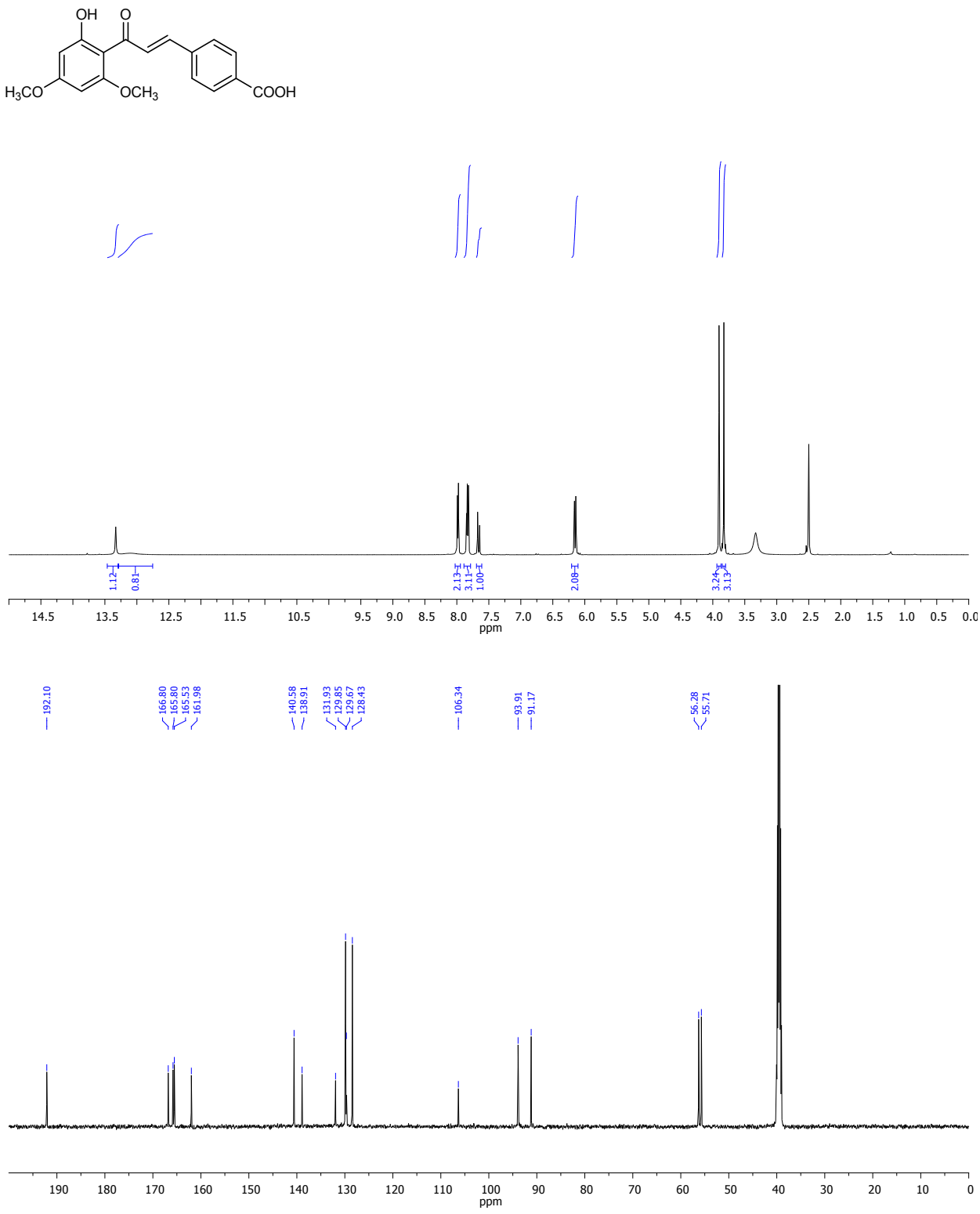



**Figure S8.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **13**

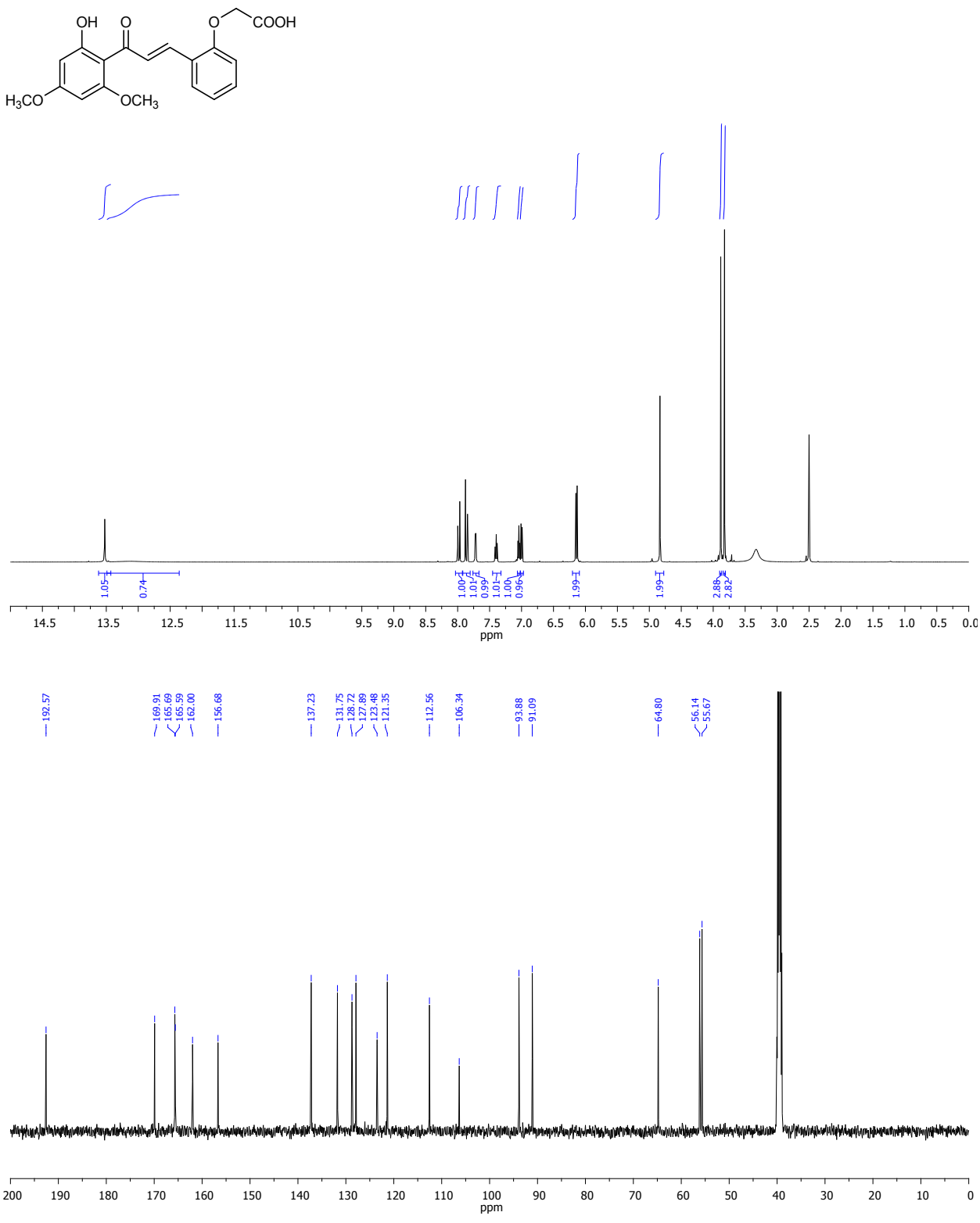



**Figure S9.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14**

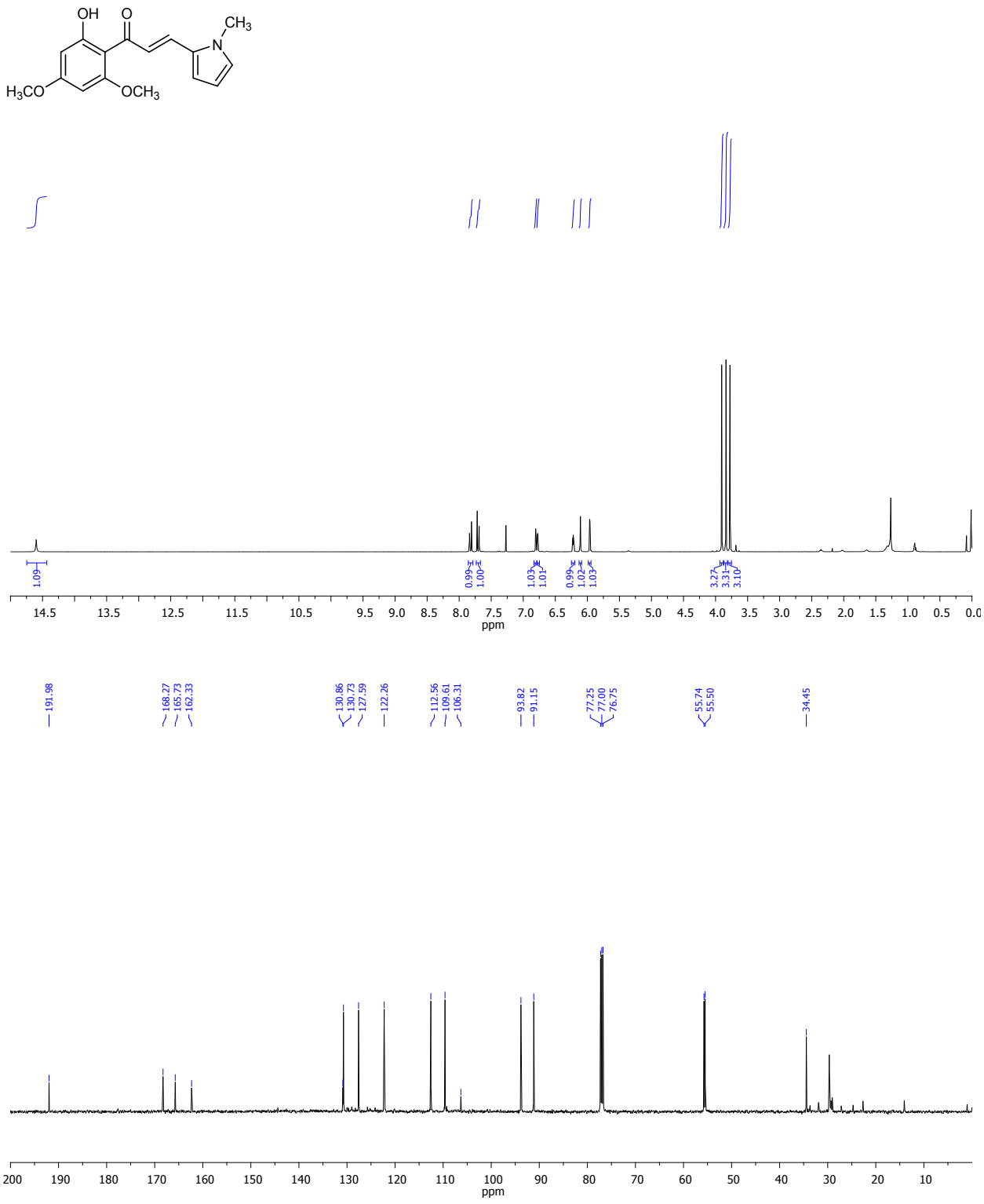



**Figure S10.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17**

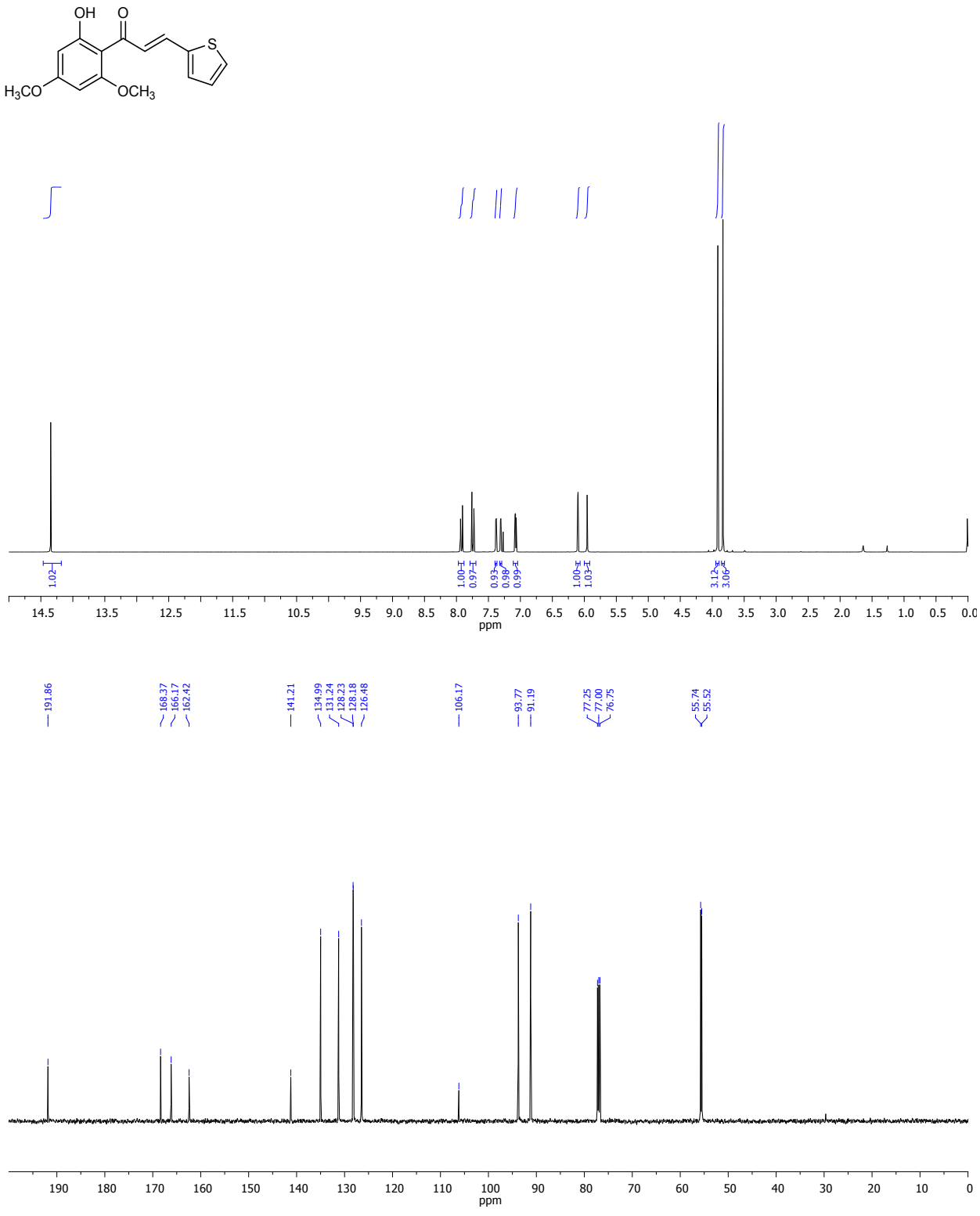



**Figure S11.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18**

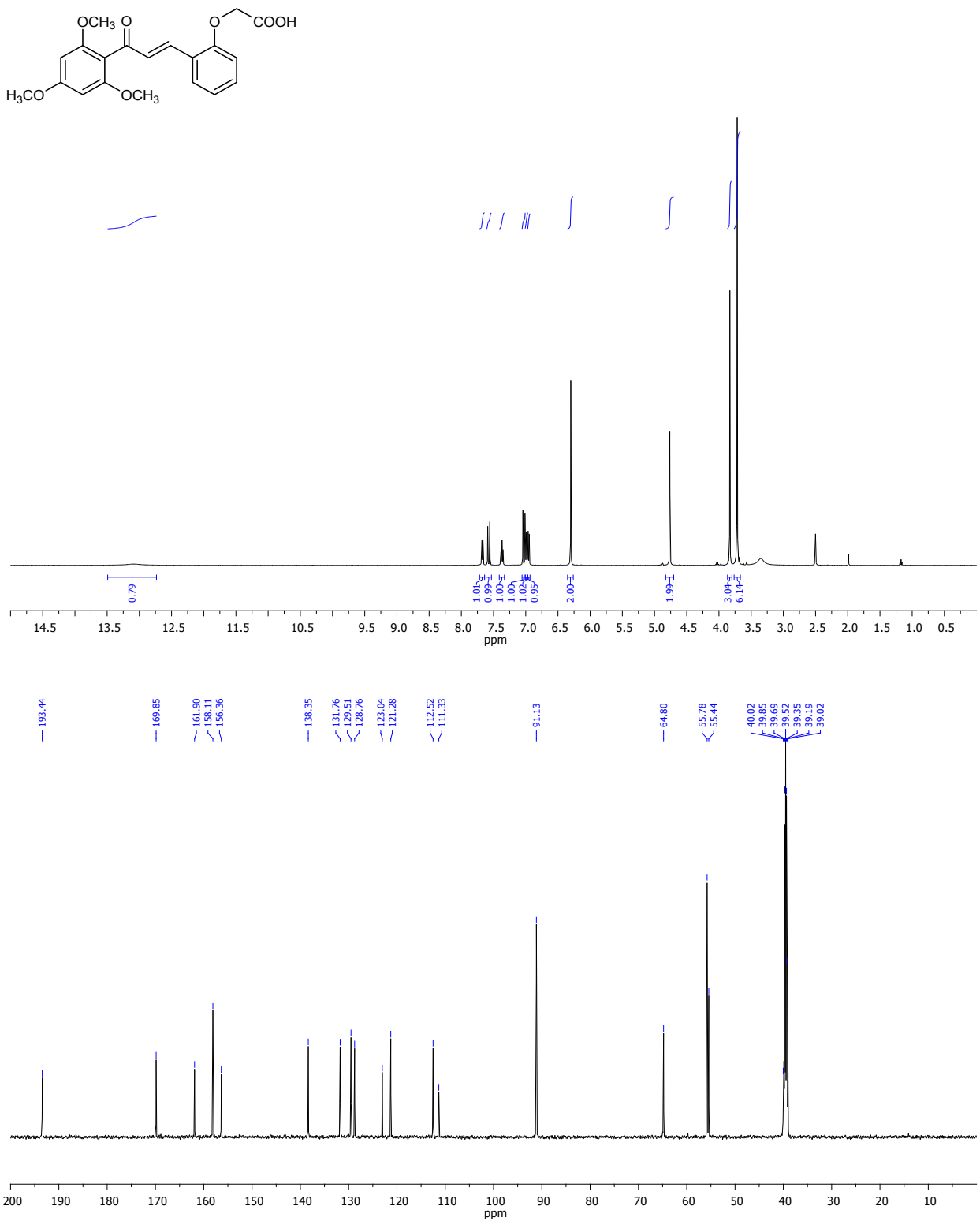



**Figure S12.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14a**

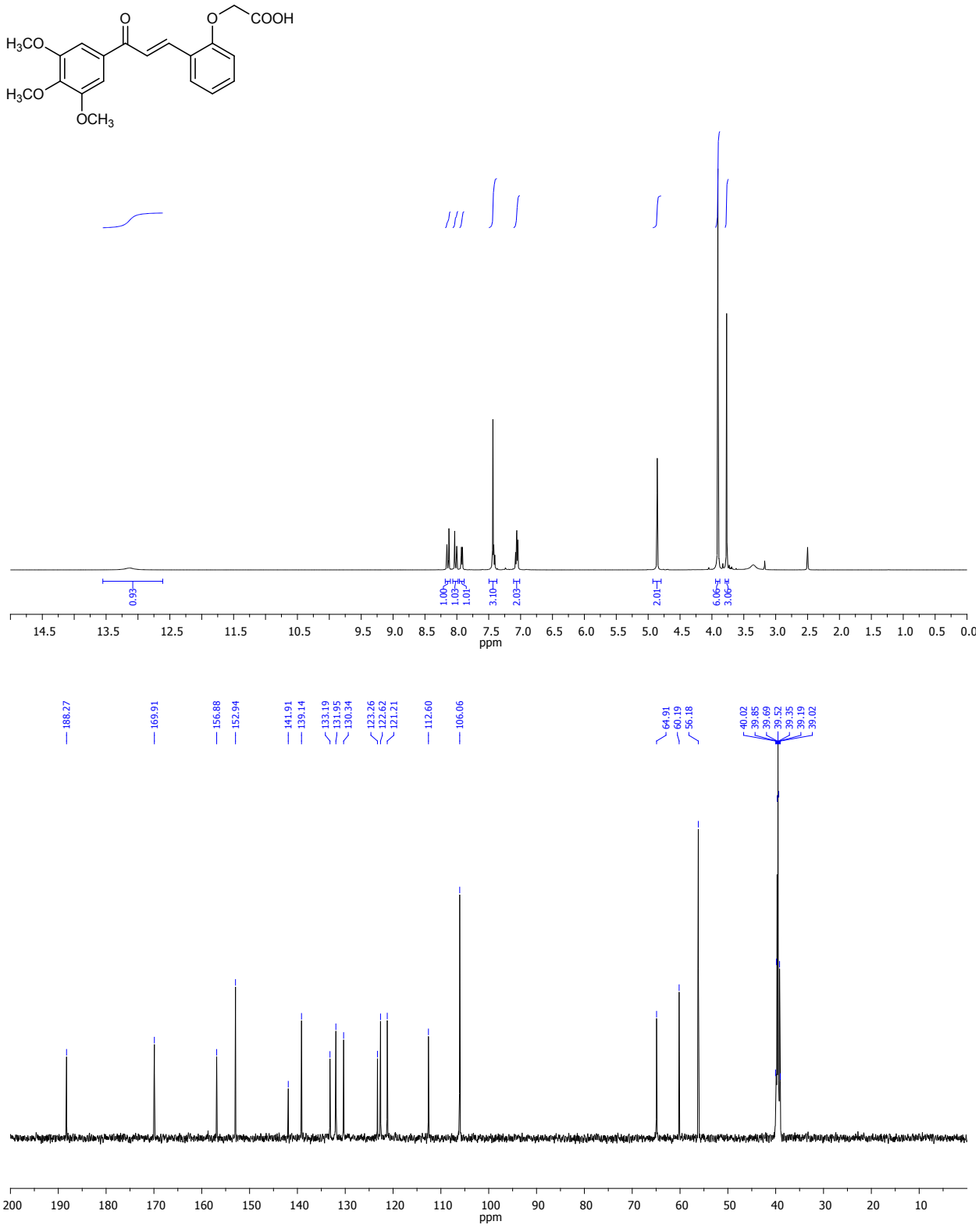



**Figure S13.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14b**

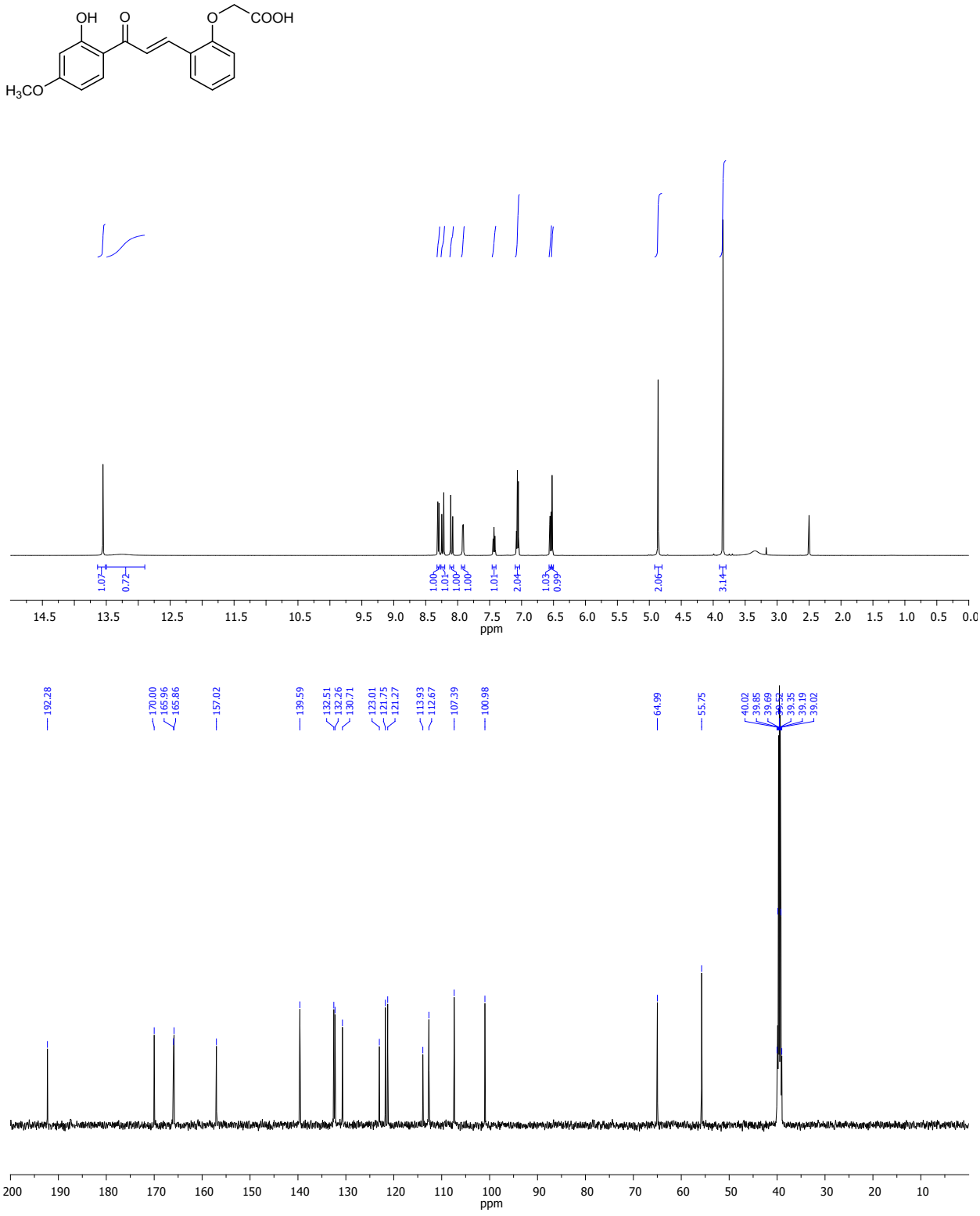



**Figure S14.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14c**

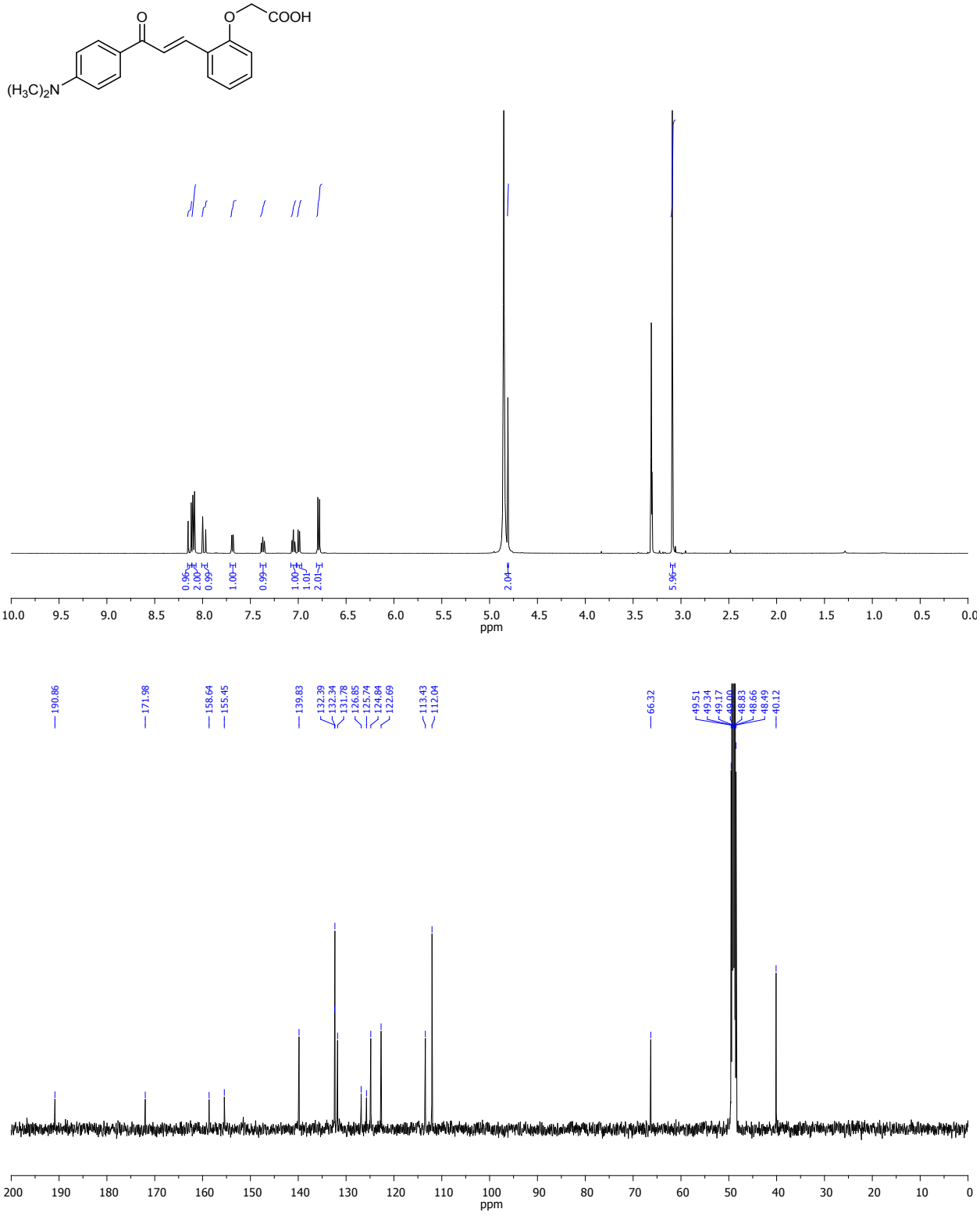



**Figure S15.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14d**

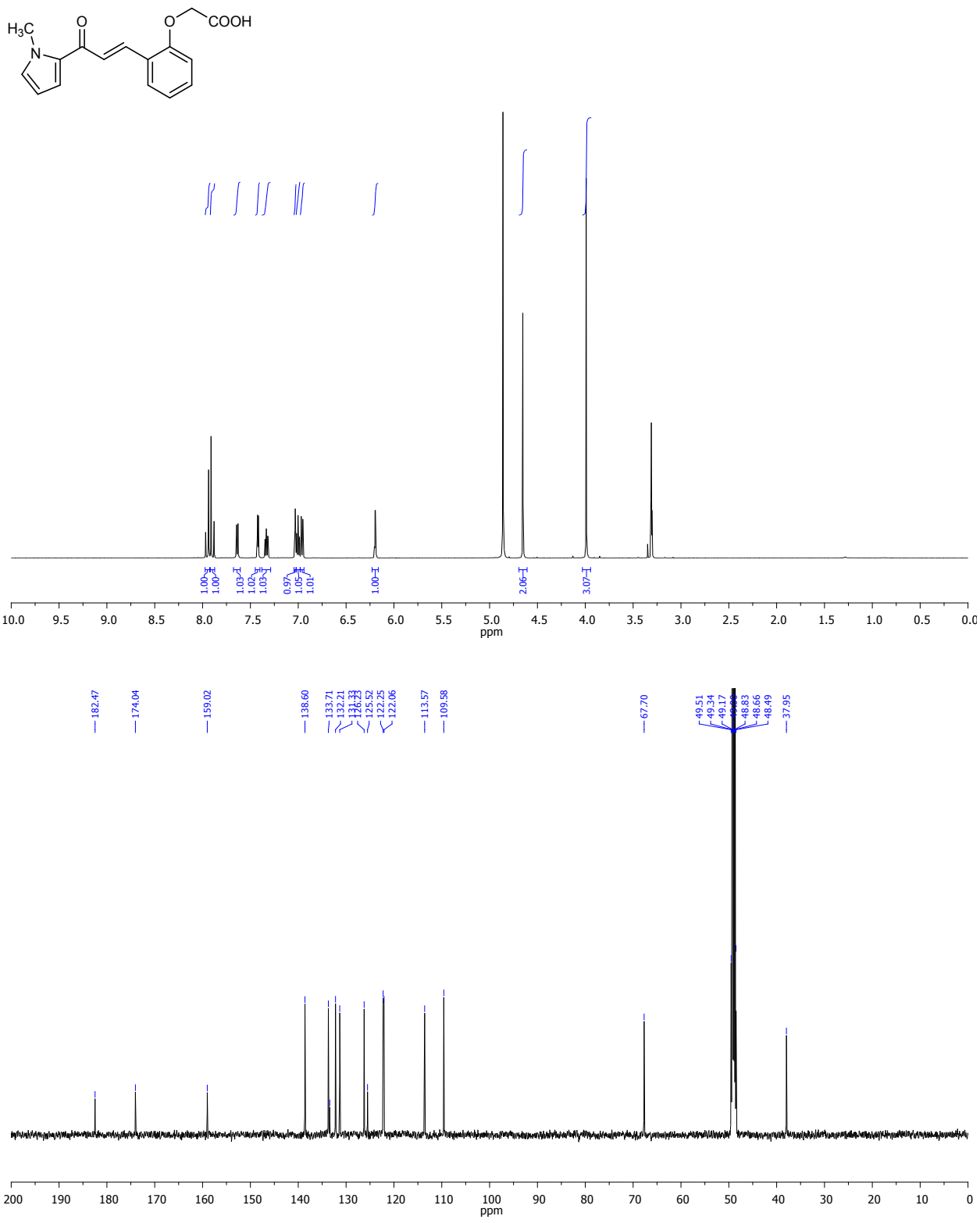



**Figure S16.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14e**

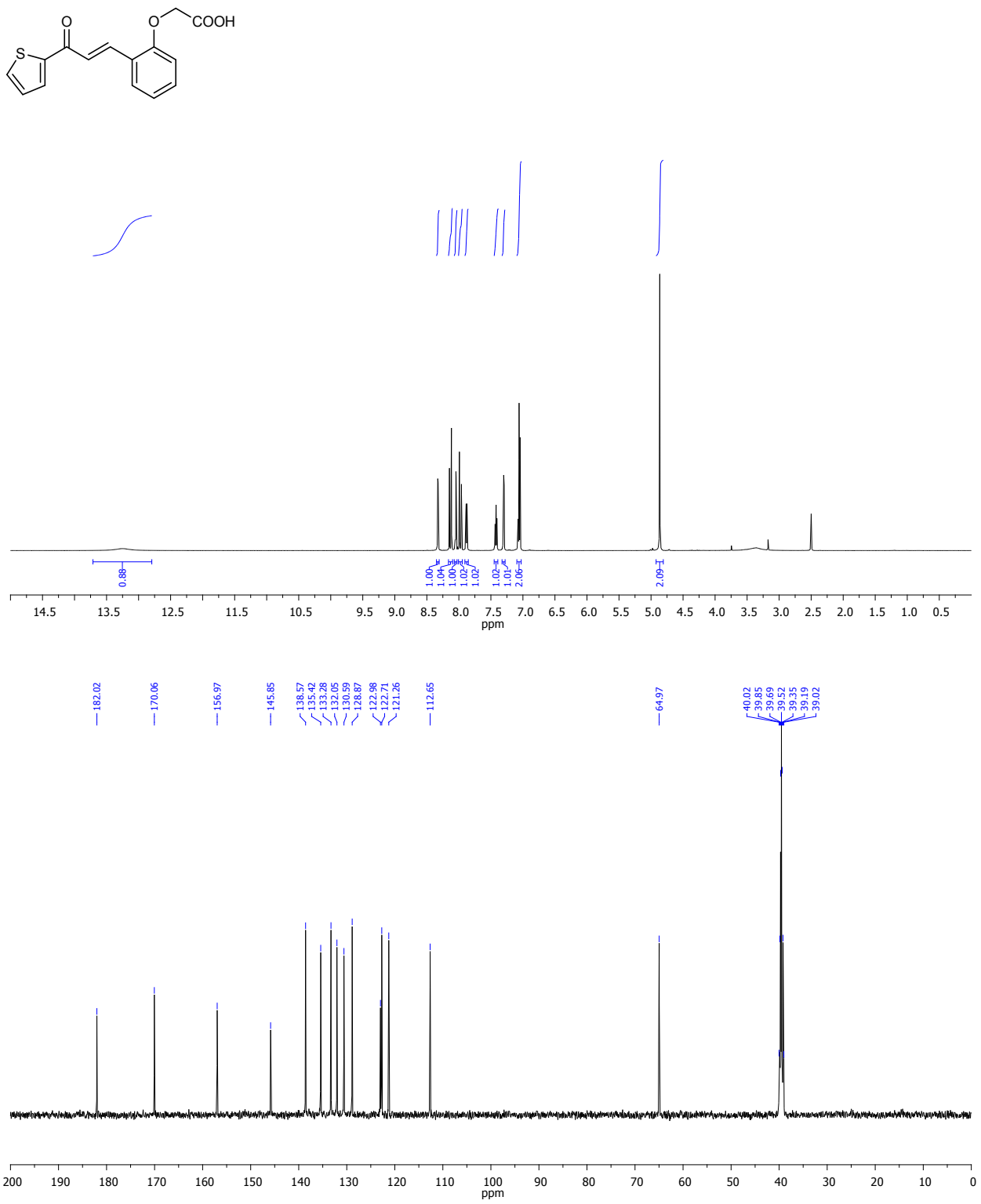



**Figure S17.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **14f**

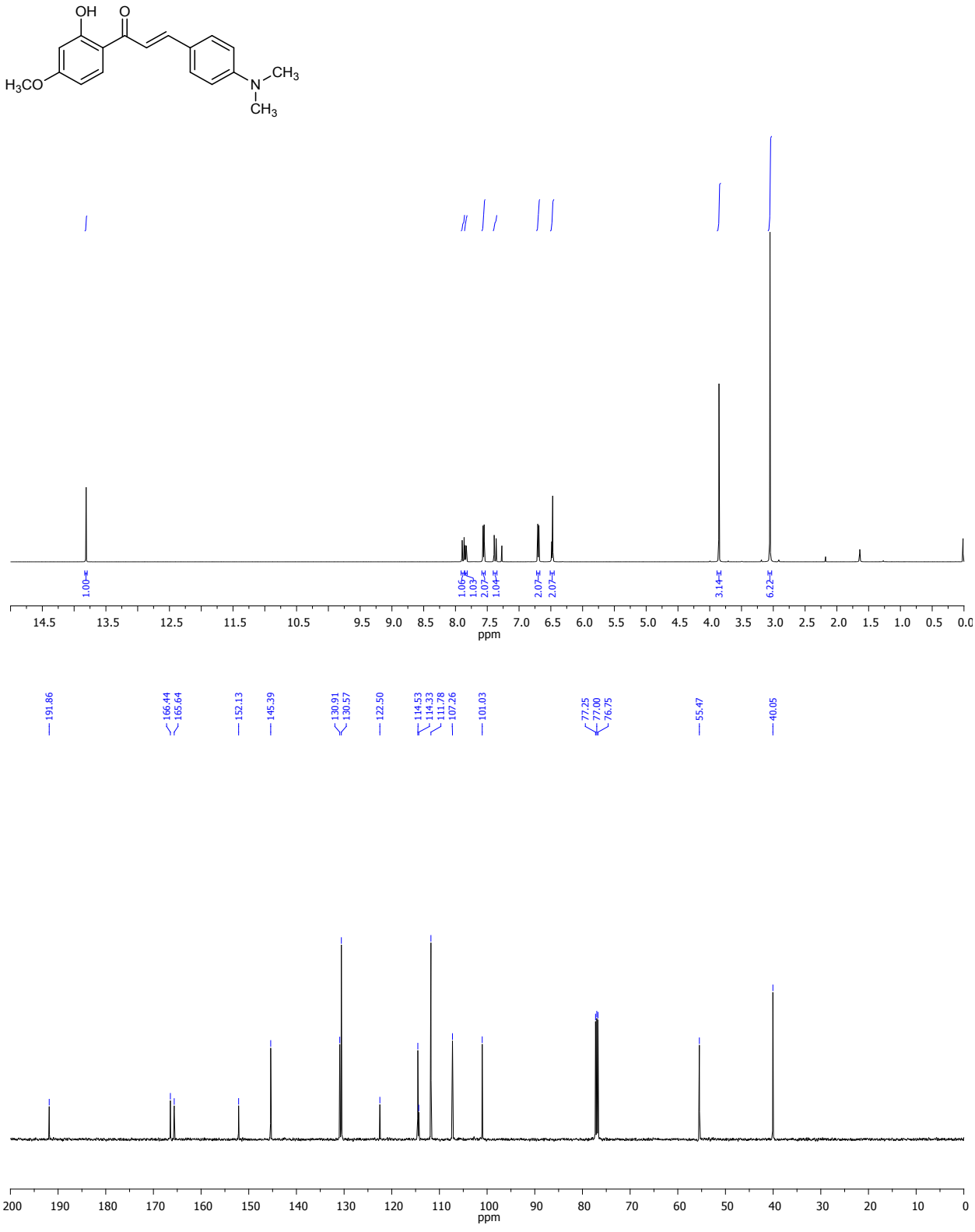



**Figure S18.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **15c**

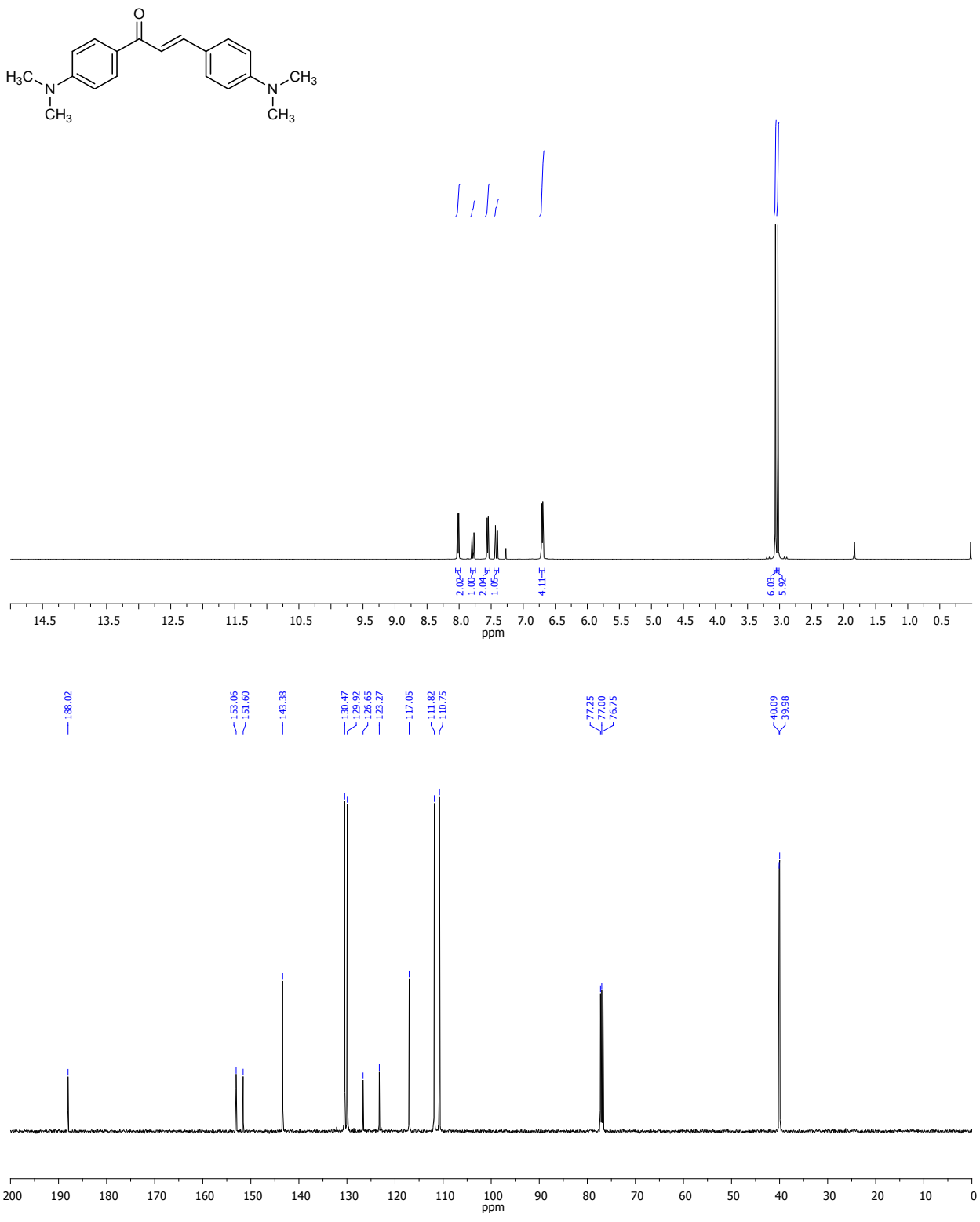



**Figure S19.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **15d**

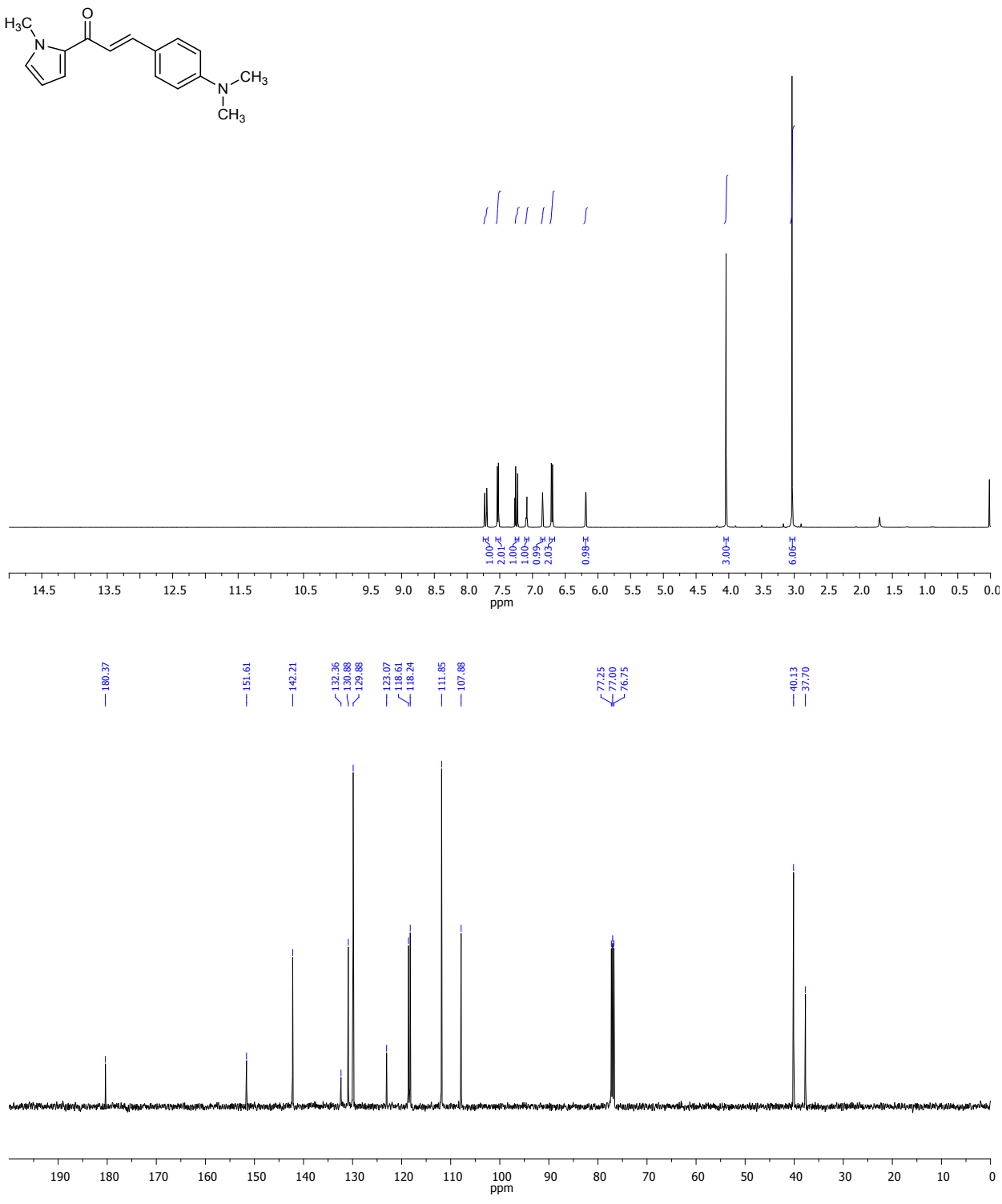



**Figure S20.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **15e**

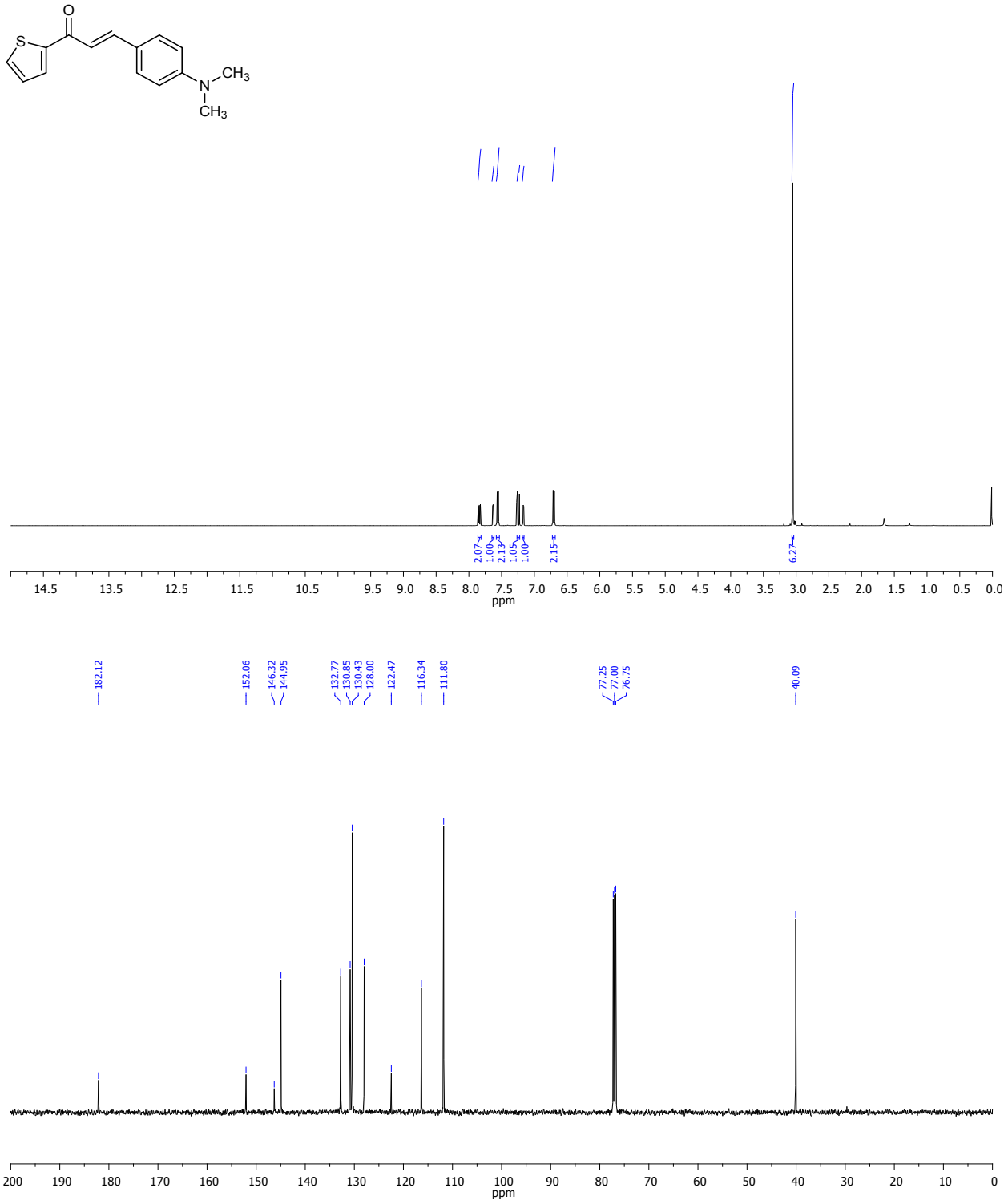



**Figure S21.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **15f**

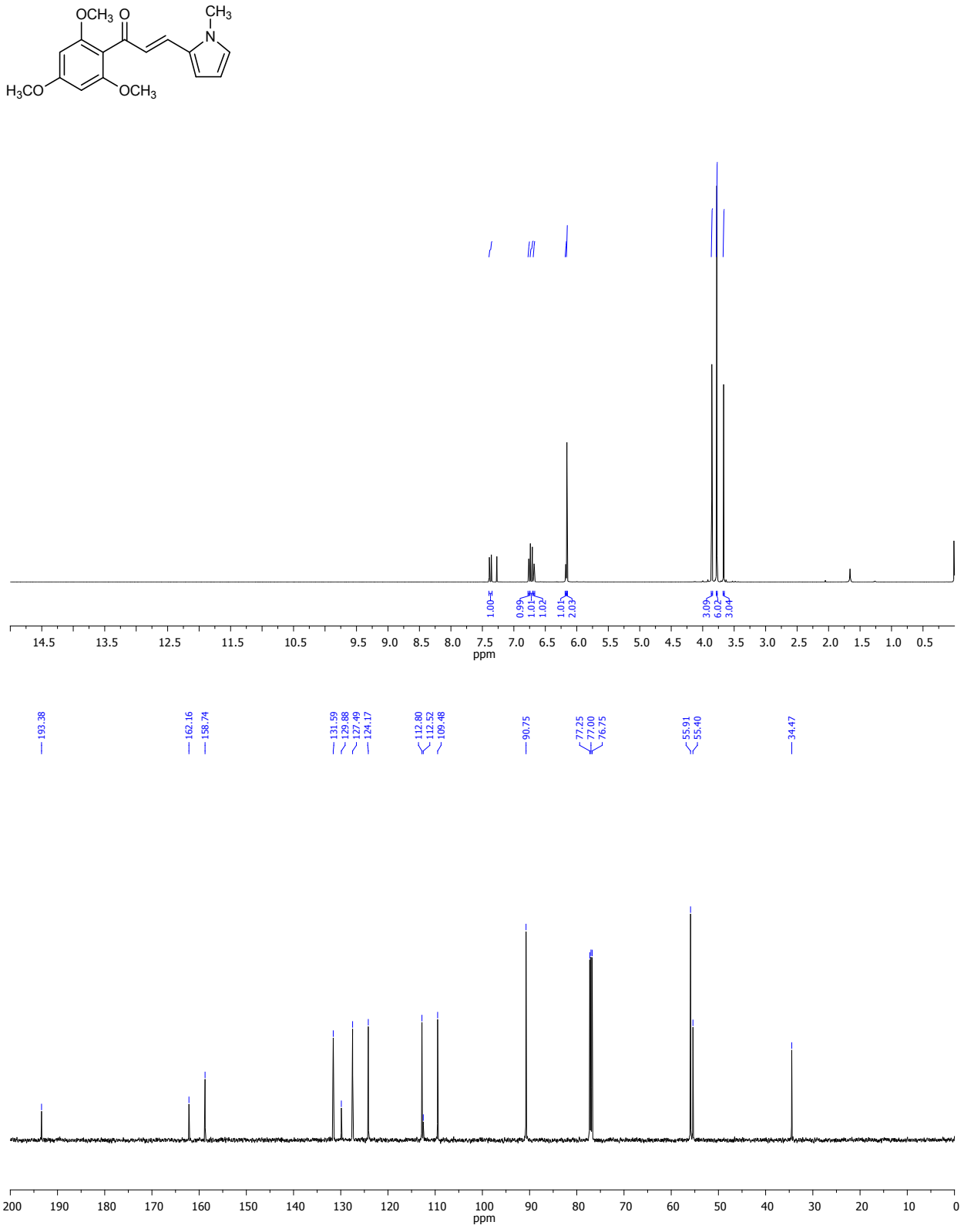



**Figure S22.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17a**

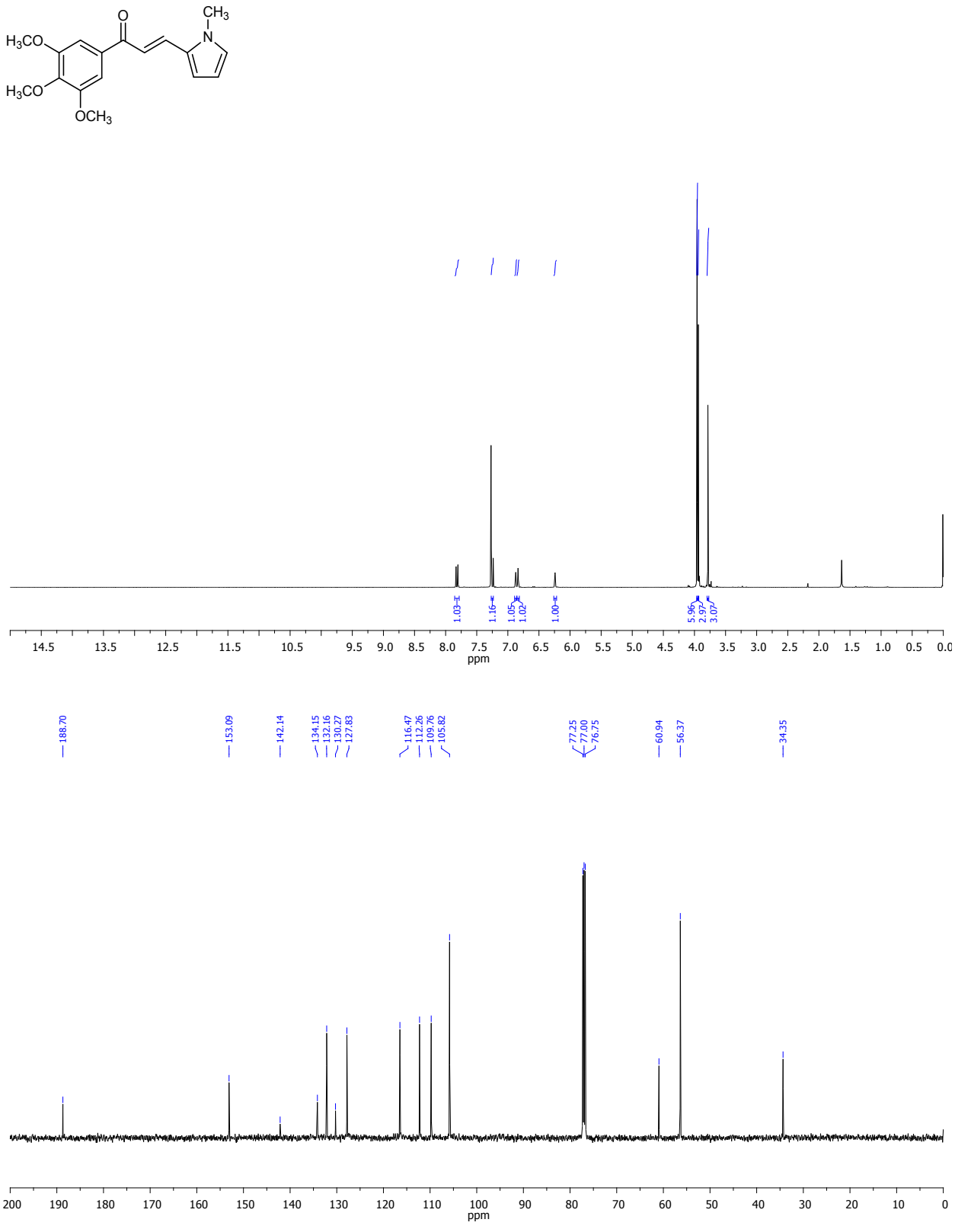



**Figure S23.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17b**

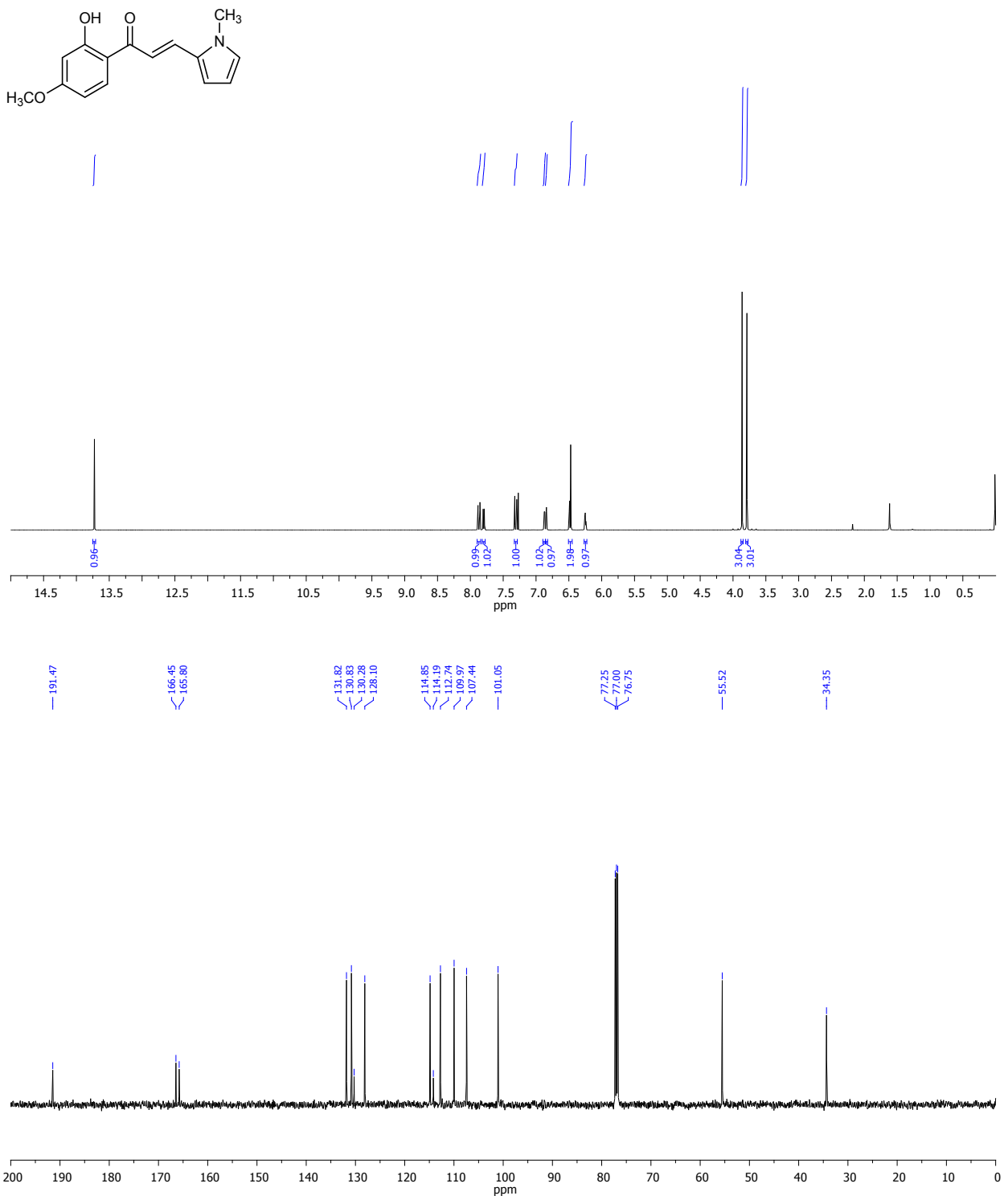



**Figure S24.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17c**

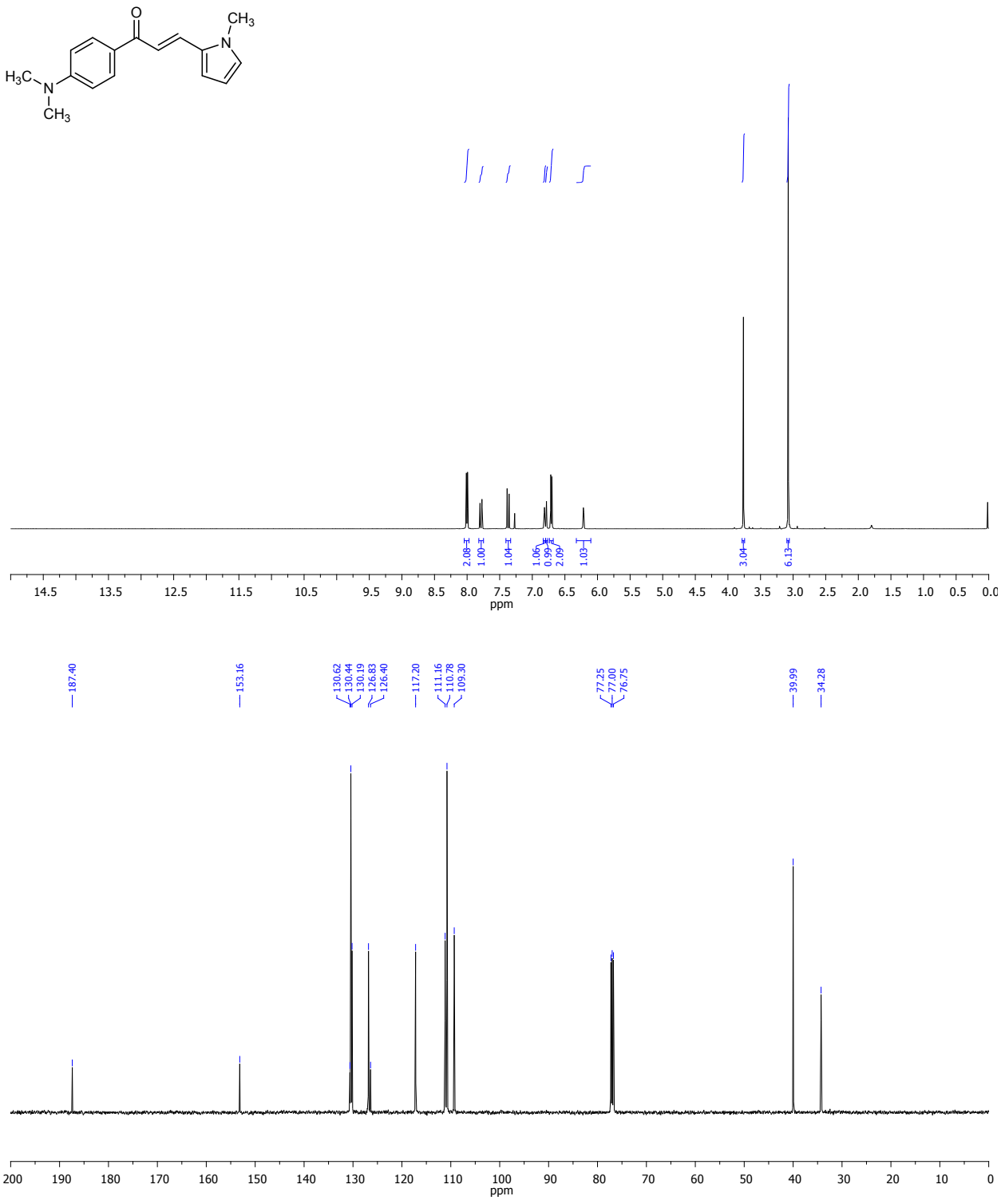



**Figure S25.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17d**

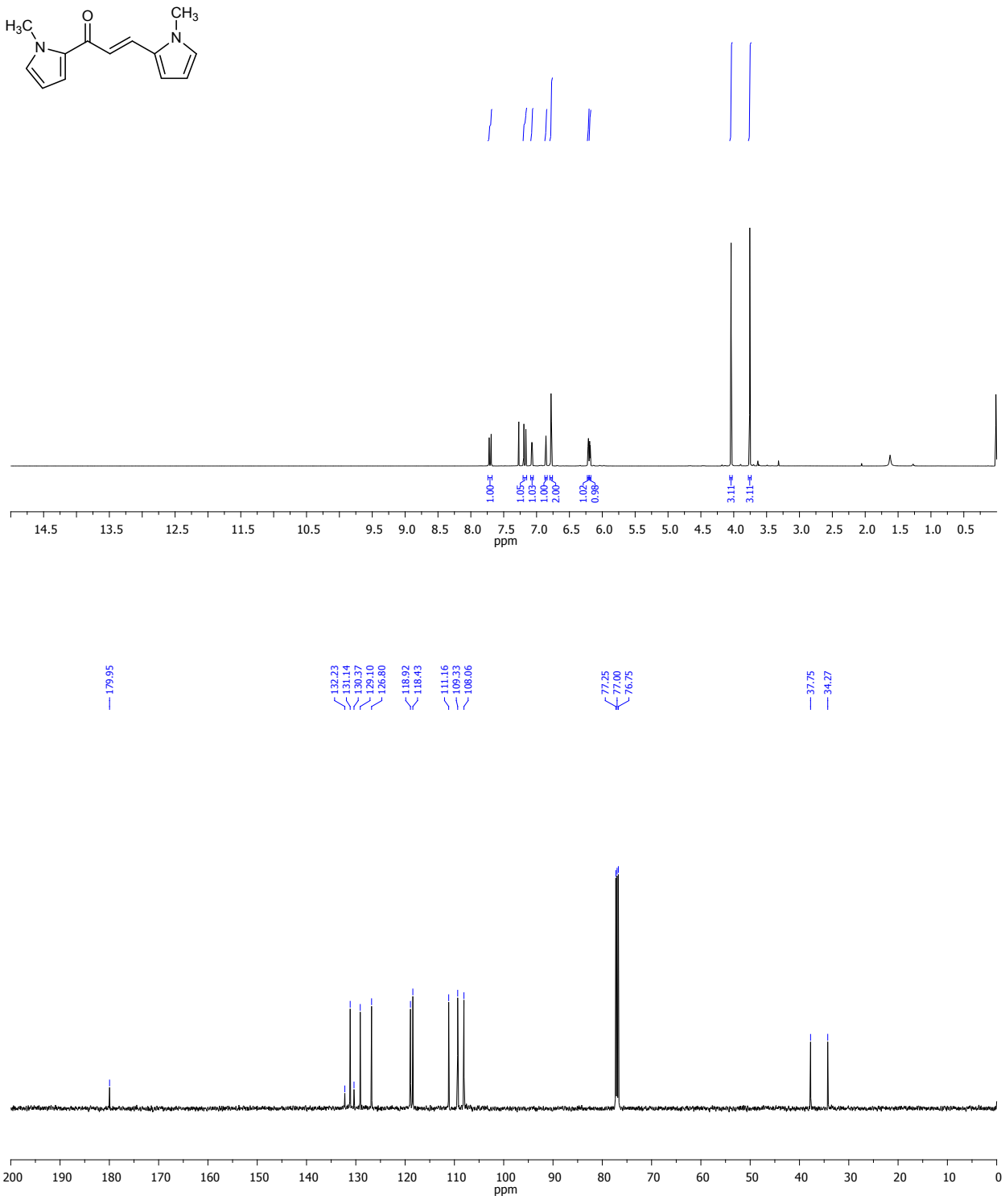



**Figure S26.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17e**

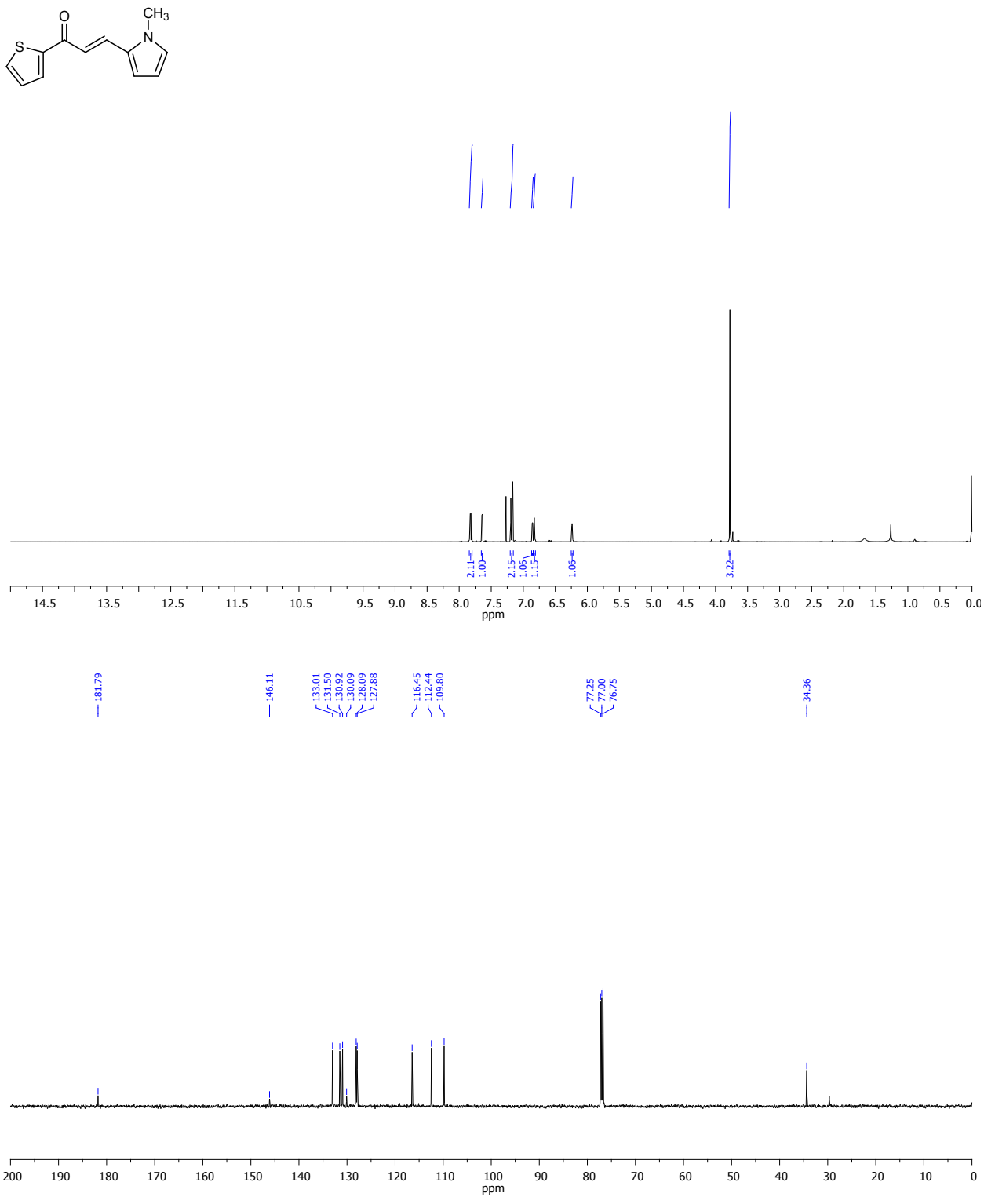



**Figure S27.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **17f**

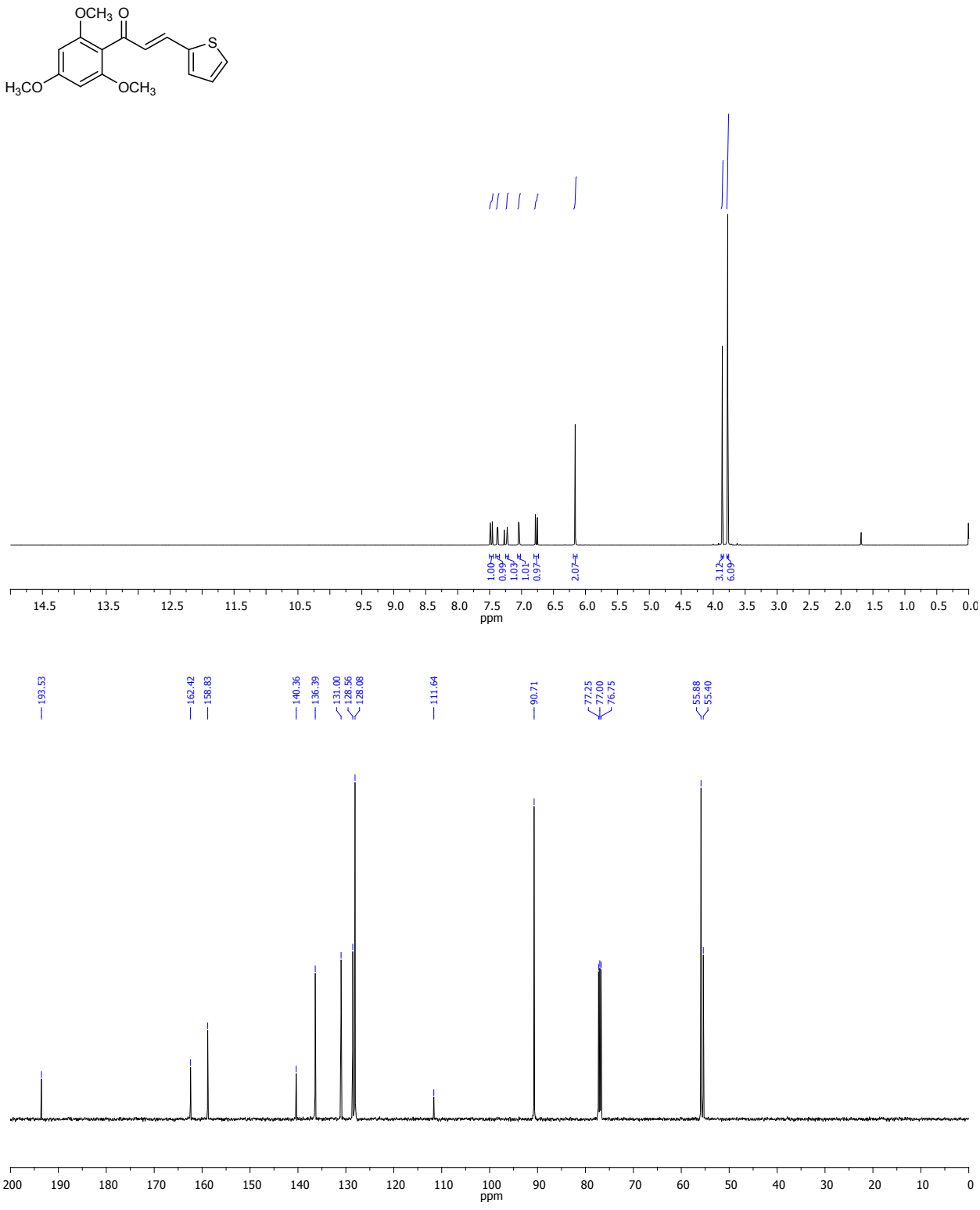



**Figure S28.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18a**

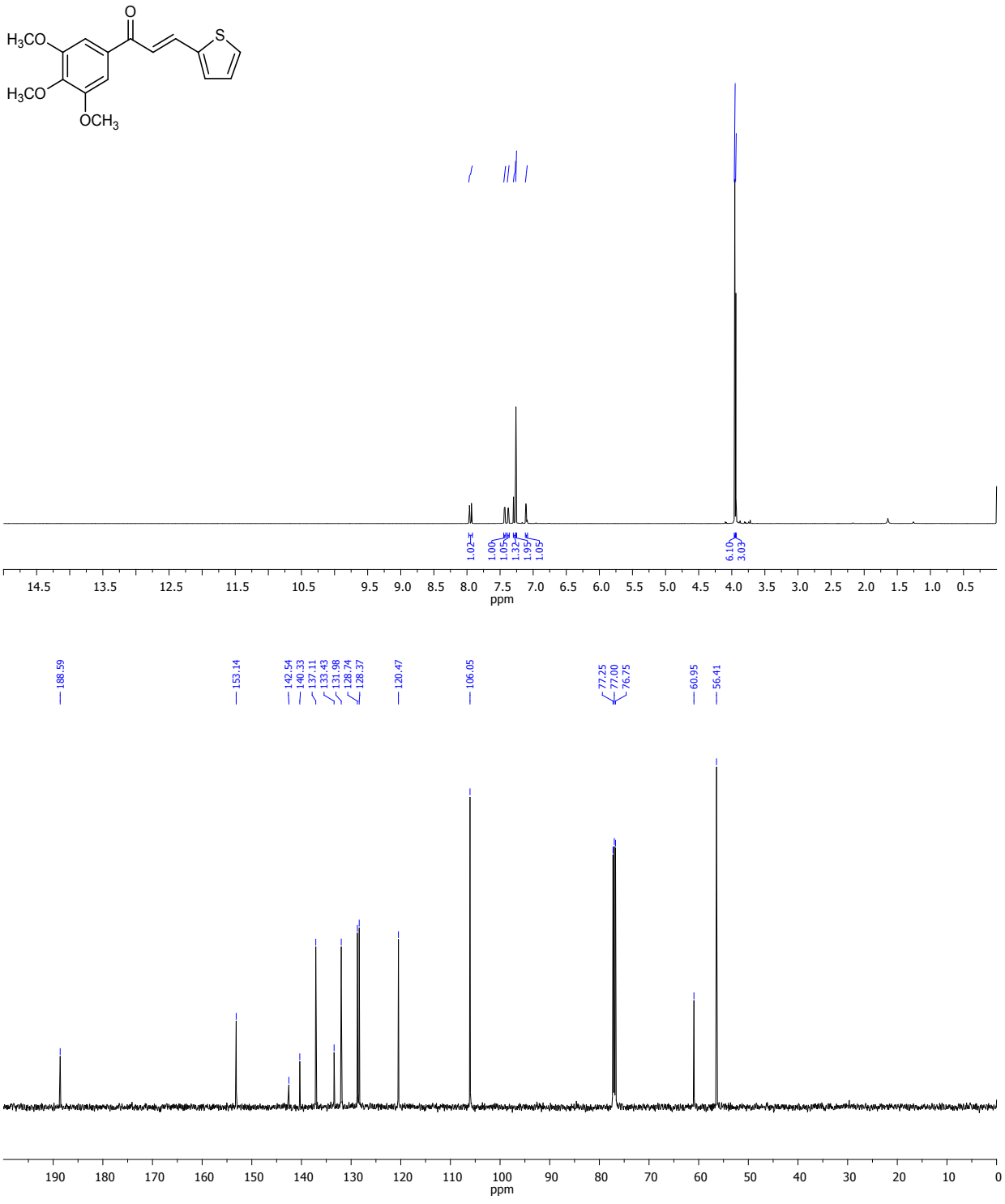



**Figure S29.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18b**

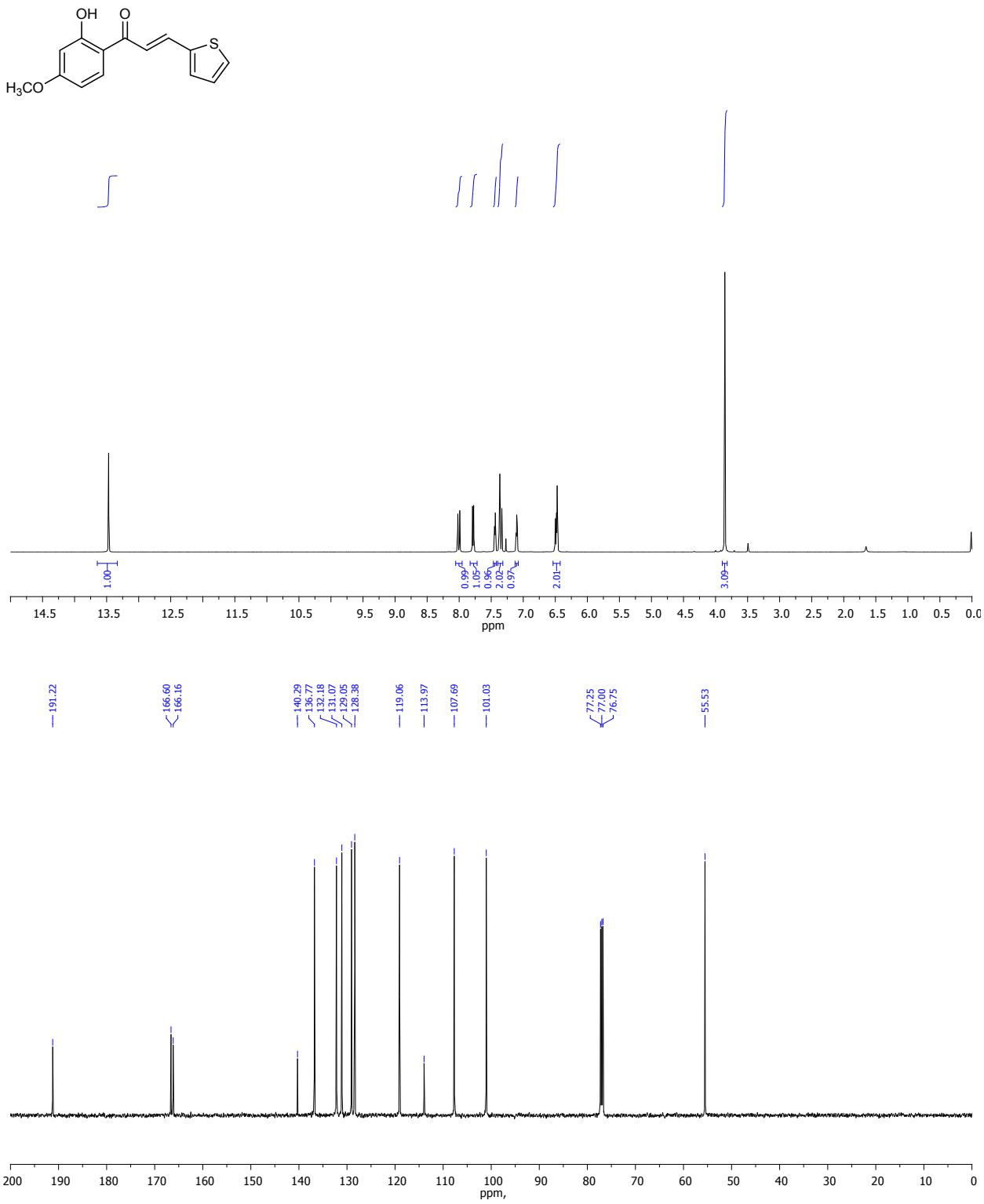



**Figure S30.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18c**

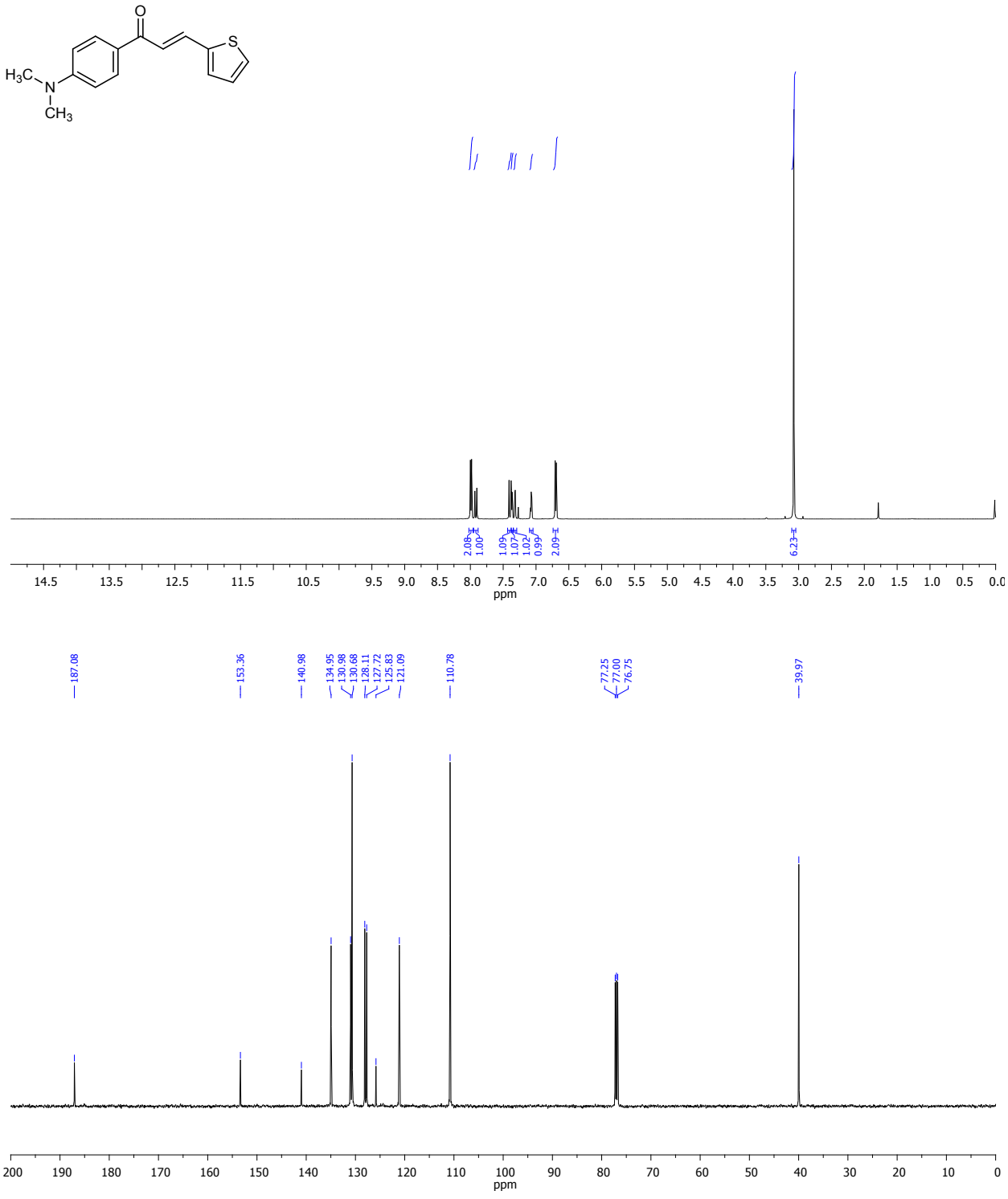



**Figure S31.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18d**

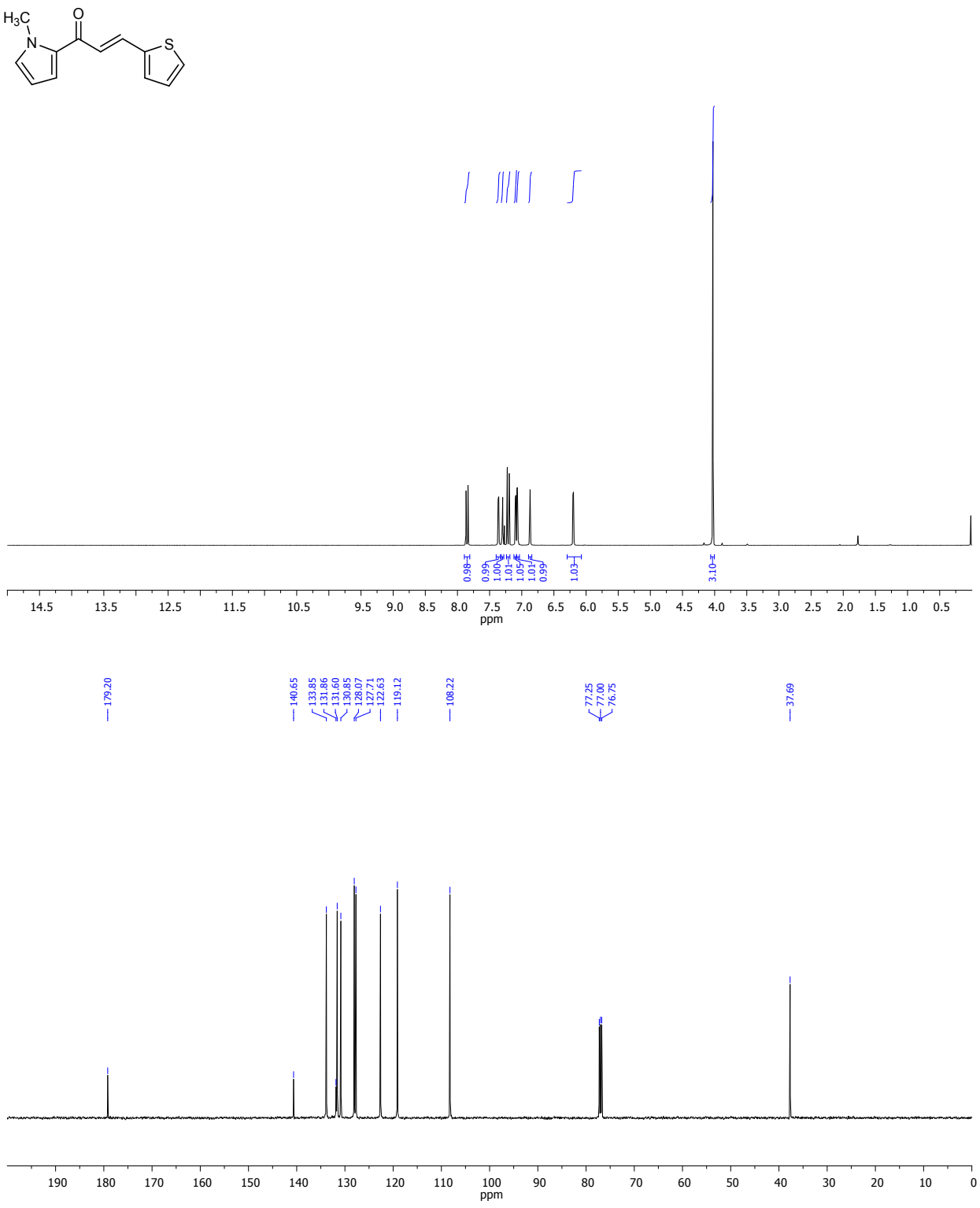



**Figure S32.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18e**

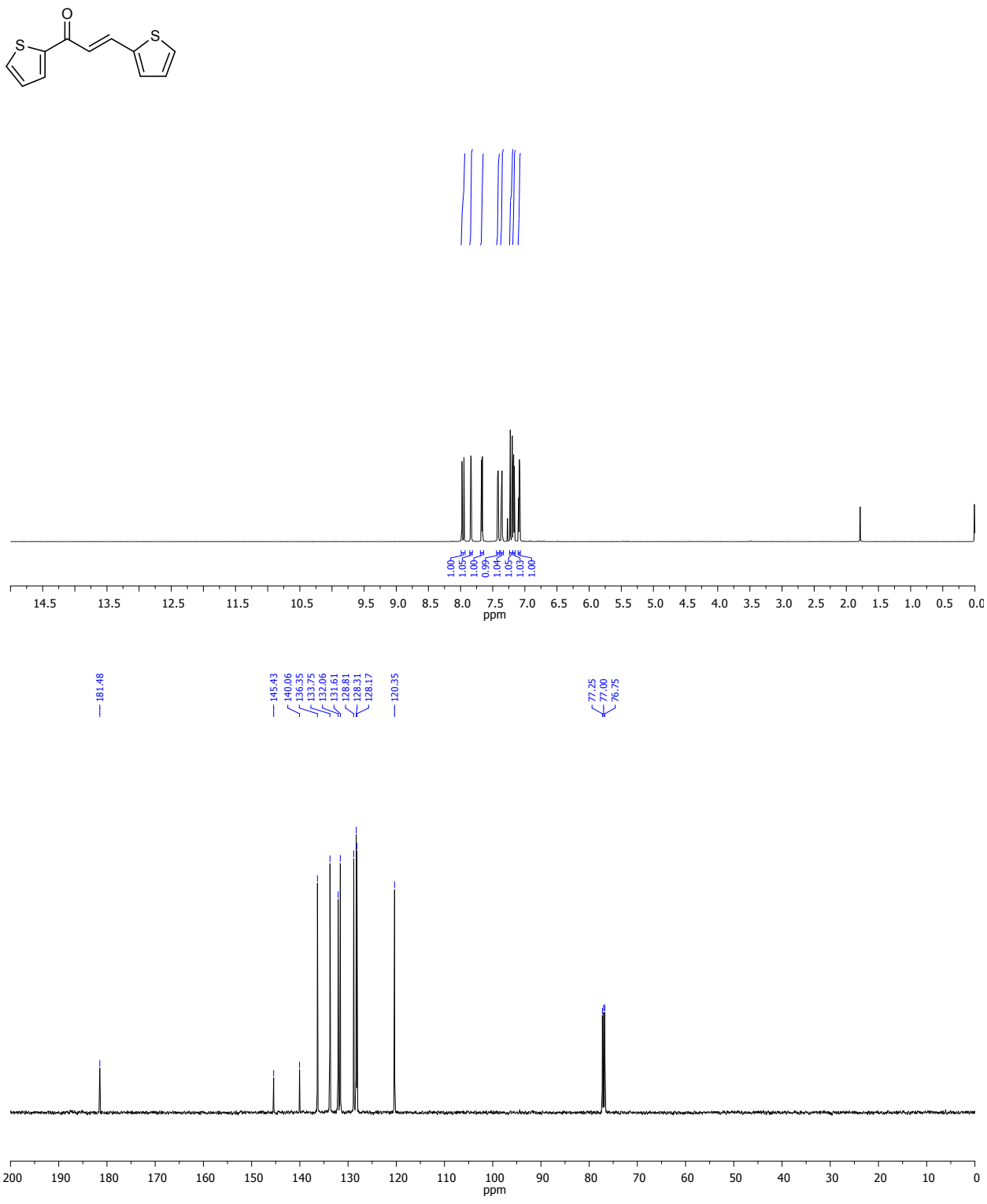



**Figure S33.** $^{1}$H NMR and $^{13}$C NMR spectra of compound **18f**

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