

## Supporting Information

### Stereoselective synthesis of fully substituted ethylenes via an Ag-catalyzed 1,6-nucleophilic addition/annulation cascade

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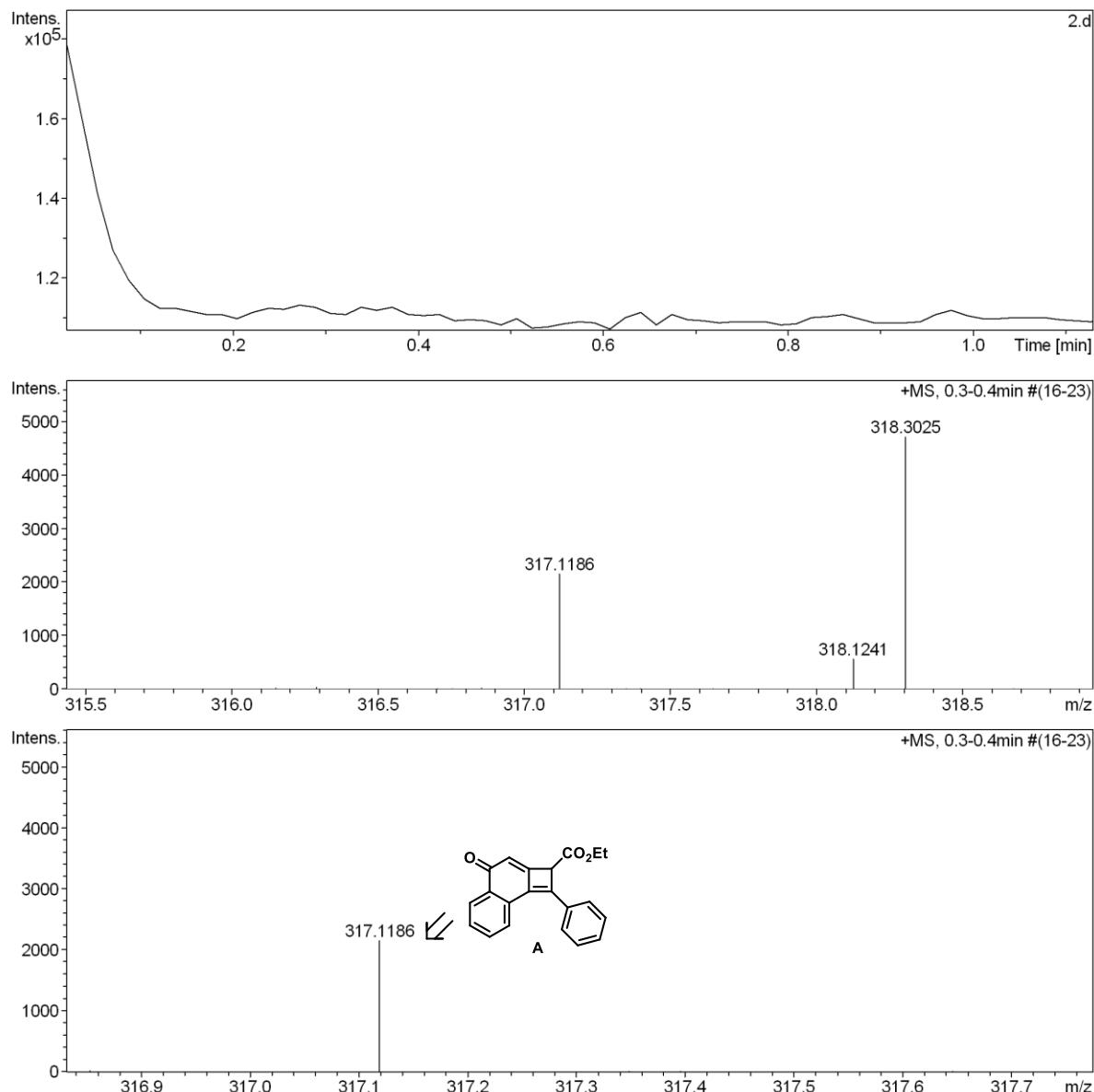
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## Contexts

General Information.....	S2
Copies of HRMS Spectra of Intermediates.....	S2-S4
General Procedure for the Synthesis of Substrates <b>3</b> .....	S5
Characterization Data of Compounds <b>3a-3bb</b> and <b>5a</b> .....	S5-S15
Copies of <sup>1</sup> H and <sup>13</sup> C NMR Spectra for Substrates <b>3a-3bb</b> and <b>5a</b> .....	S16-S71

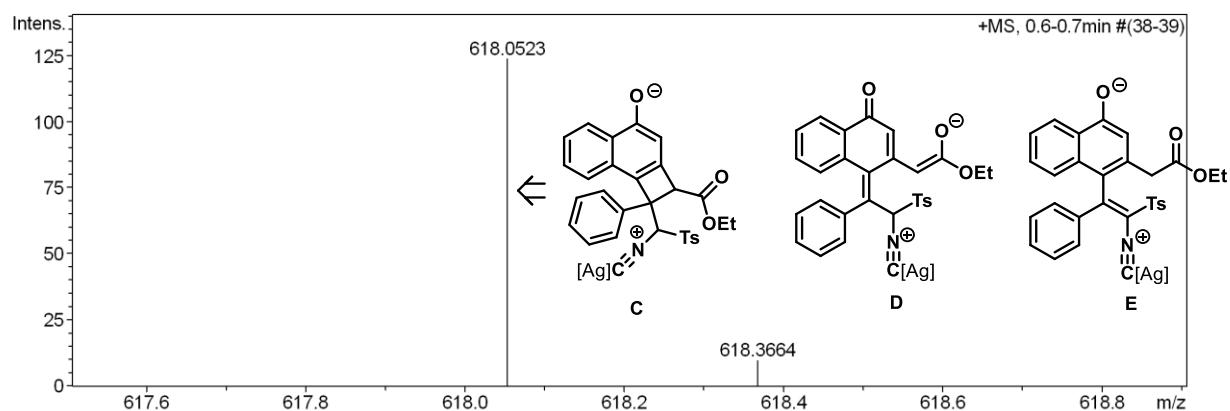
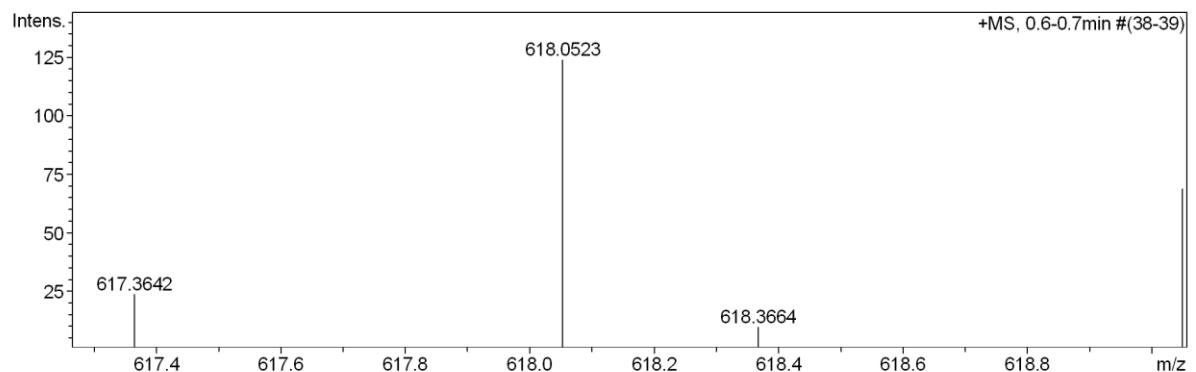
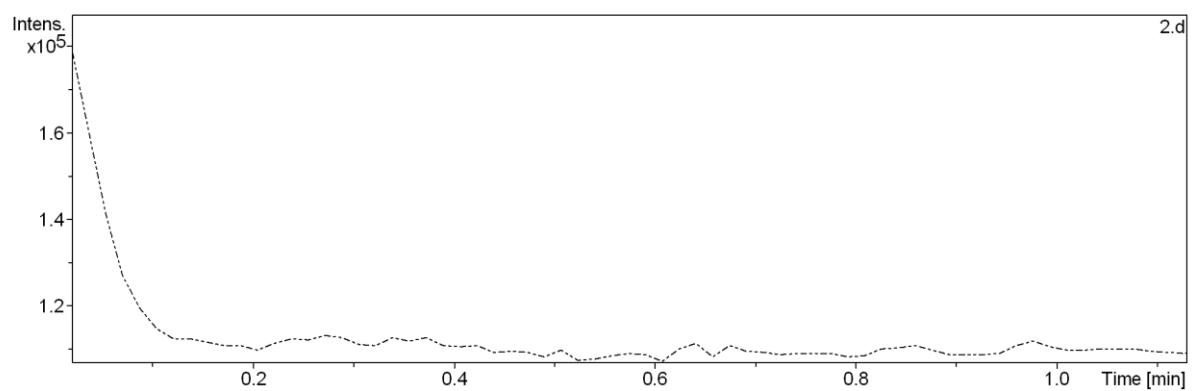
## General Information

<sup>1</sup>H NMR (<sup>13</sup>C NMR) spectra were measured on a Bruker DPX 400 MHz spectrometer in CDCl<sub>3</sub> (DMSO-*d*6) with chemical shift ( $\delta$ ) given in ppm relative to TMS as internal standard [(s = singlet, d = doublet, t = triplet, brs = broad singlet, m = multiplet), coupling constant (Hz)]. HRMS (ESI) was determined by using microTOF-QII HRMS/MS instrument (BRUKER). X-Ray crystallographic analysis was performed with a Siemens SMART CCD and a Siemens P4 diffractometer.

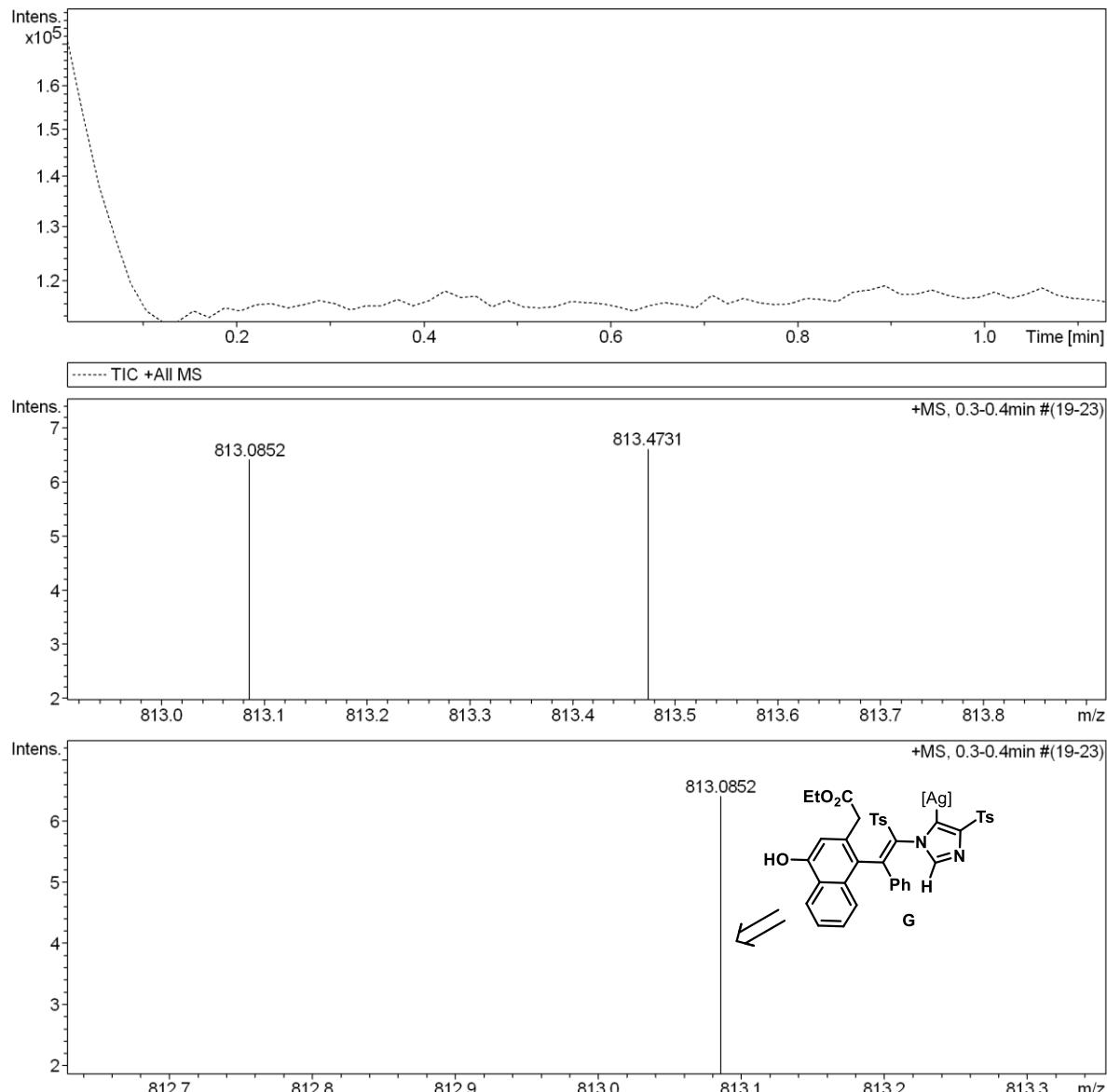


**Figure S1** Copy of HRMS Spectra of Intermediate A [M+H]<sup>+</sup>

$[M+H]^+$



**Figure S2** Copy of HRMS Spectra of Intermediate **C**, **D** or **E**  $[M+H]^+$



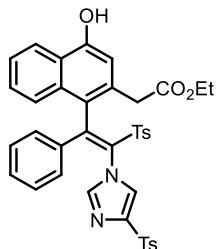
**Figure S3** Copy of HRMS Spectra of Intermediate **G** [M+H]<sup>+</sup>

## General Procedure for the Synthesis of Compounds 3

### Example for the synthesis of 3a

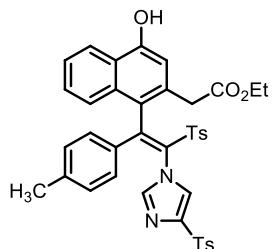
A mixture of ethyl 5-oxo-5-(2-(phenylethynyl)phenyl)penta-2,3-dienoate (**1a**, 0.3 mmol, 94.8 mg), tosylmethyl isocyanide (**2a**, 0.6 mmol, 117.0 mg), pyridine (0.3 mmol, 23.7 mg), Ag<sub>2</sub>O (10 mol%, 7 mg) and 4A (100 mg) were sequentially added in a 25-mL Schlenk tube. Then, 1,4-dioxane (3.0 mL) was added into this reaction system. The reaction vial was sealed at 80 °C until TLC (petroleum ether ethyl acetate=11) revealed that conversion of the starting material **1a** was completed. Next, the reaction mixture was concentrated by vacuum distillation and was purified by flash column chromatography (silica gel, mixtures of petroleum ether / acetic ester, 21, v/v) to afford the desired pure products (**3a**, 144.2 mg, 68% yield) as yellow solid.

### (Z)-ethyl 2-(4-hydroxy-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (**3a**)



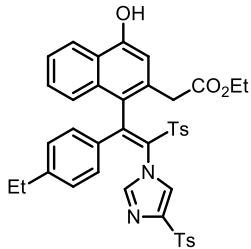
Yellow solid, 144.2mg, 68% yield; mp 129-130 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.10-7.84(m, 5H), 7.39-7.33 (m, 3H), 7.23-7.14 (m, 3H), 7.02-6.95 (m, 3H), 6.87-6.77 (m, 6H), 4.07-3.91 (m, 2H), 3.78-3.69 (m, 2H), 2.45 (s, 3H), 2.22 (s, 3H), 1.15-1.12 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.5, 153.7, 149.5, 145.4, 144.5, 142.8, 137.7, 135.6, 134.4, 133.6, 132.1, 131.6, 130.5, 129.9, 129.4, 128.9, 128.4, 128.2, 128.1, 127.6, 125.0, 124.7, 124.0, 123.6, 122.7, 110.9, 61.6, 39.9, 21.8, 21.6, 14.1. IR (KBr, ν, cm<sup>-1</sup>) 3400, 3125, 3062, 1728, 1624, 1595, 1322, 1082, 813. HR-MS (ESI) m/z calcd for C<sub>39</sub>H<sub>33</sub>N<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 705.1729, [M-H]<sup>-</sup>, found 705.1760.

### (Z)-ethyl 2-(4-hydroxy-1-(1-(p-tolyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (**3b**)



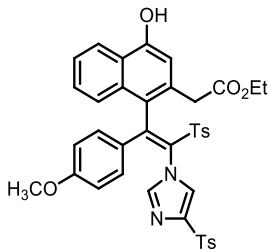
Yellow solid, 153.5mg, 71% yield; mp 127-128 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.07 (d, J = 8.0 Hz, 1H), 7.88 (d, J = 8.0 Hz, 3H), 7.35 (d, J = 8.0 Hz, 3H), 7.21-6.69 (m, 12H), 4.06-3.94 (m, 2H), 3.77-3.68 (m, 2H), 2.45 (s, 3H), 2.19 (d, J = 8.0 Hz, 6H), 1.15-1.11 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.6, 153.7, 149.6, 145.3, 144.5, 142.7, 141.2, 137.7, 133.8, 133.6, 132.6, 132.1, 131.6, 129.9, 129.6, 129.4, 128.5, 128.1, 128.1, 127.5, 124.9, 124.8, 124.0, 123.6, 122.7, 110.9, 61.6, 39.8, 21.7, 21.6, 21.3, 14.0. IR (KBr, ν, cm<sup>-1</sup>) 3401, 3125, 3062, 1728, 1595, 1510, 1323, 1044, 971. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>35</sub>N<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 719.1886, [M-H]<sup>-</sup>, found 719.1910.

### (Z)-ethyl 2-(1-(1-(4-ethylphenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxynaphthalen-2-yl)acetate (**3c**)



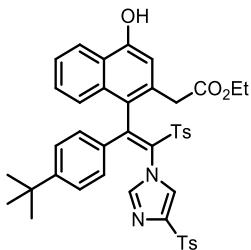
Yellow solid, 152.1mg, 69% yield; mp 129-130 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.08 (d, *J* = 8.0 Hz, 1H), 7.90 (d, *J* = 8.0 Hz, 3H), 7.38-7.34 (m, 3H), 7.20-6.71 (m, 12H), 4.04-3.92 (m, 2H), 3.77-3.68 (m, 2H), 2.51-2.45 (m, 5H), 2.21 (s, 3H), 1.14-1.07 (m, 6H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.6, 153.6, 149.5, 147.3, 145.3, 144.5, 142.7, 137.8, 133.8, 133.5, 132.7, 132.2, 131.6, 129.9, 129.4, 128.7, 128.4, 128.1, 128.1, 127.5, 124.9, 124.8, 123.95, 123.7, 122.7, 110.9, 61.5, 39.8, 28.5, 21.8, 21.6, 14.8, 14.0. IR (KBr, v, cm<sup>-1</sup>) 3400, 3126, 3062, 1728, 1670, 1541, 1348, 1093, 900. HR-MS (ESI) m/z calcd for C<sub>41</sub>H<sub>37</sub>N<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 733.2042, [M-H]<sup>+</sup>, found 733.2062.

**(Z)-ethyl 2-(4-hydroxy-1-(4-methoxyphenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl acetate (3d)**



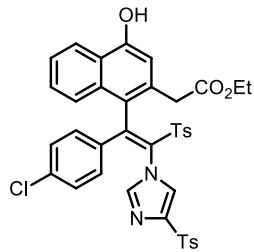
Yellow solid, 168.0mg, 76% yield; mp 128-129 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.06 (d, *J* = 8.0 Hz, 1H), 7.88 (d, *J* = 8.0 Hz, 3H), 7.35 (d, *J* = 8.0 Hz, 3H), 7.20-6.70 (m, 10H), 6.49 (d, *J* = 8.0 Hz, 2H), 4.05-3.92 (m, 2H), 3.77-3.71 (m, 2H), 3.67 (s, 3H), 2.44 (s, 3H), 2.20 (s, 3H), 1.14-1.11 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.7, 161.3, 153.8, 149.1, 145.2, 144.5, 142.9, 137.8, 134.0, 132.4, 132.2, 131.8, 130.6, 129.9, 129.4, 128.1, 128.0, 127.5, 127.4, 124.8, 124.7, 124.0, 123.6, 122.7, 114.4, 110.9, 61.6, 55.4, 39.8, 21.8, 21.6, 14.0. IR (KBr, v, cm<sup>-1</sup>) 3412, 3127, 2980, 1728, 1623, 1596, 1303, 1102, 836. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>35</sub>N<sub>2</sub>O<sub>8</sub>S<sub>2</sub>, 735.1835, [M-H]<sup>+</sup>, found 735.1875.

**(Z)-ethyl 2-(1-(1-(4-(tert-butyl)phenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxynaphthalen-2-yl)acetate (3e)**



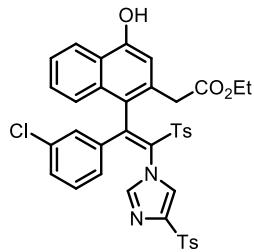
Yellow solid, 171.2mg, 75% yield; mp 122-123 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.10-7.92 (m, 4H), 7.35 (d, *J* = 8.0 Hz, 3H), 7.20-6.72 (m, 12H), 4.01-3.87 (m, 2H), 3.78-3.68 (m, 2H), 2.44 (s, 3H), 2.20 (s, 3H), 1.14 (s, 9H), 1.11-1.08 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.7, 154.3, 153.8, 149.4, 145.3, 144.5, 142.8, 137.8, 133.8, 133.5, 132.2, 132.2, 131.6, 129.9, 129.4, 128.6, 128.1, 128.1, 127.5, 125.8, 124.9, 124.8, 124.0, 123.6, 122.7, 110.9, 61.5, 39.9, 34.8, 34.8, 34.8, 30.9, 30.9, 30.8, 21.8, 21.6, 21.6, 21.6, 21.6, 14.0. IR (KBr, v, cm<sup>-1</sup>) 3420, 3136, 2964, 1729, 1624, 1595, 1323, 1154, 813. HR-MS (ESI) m/z calcd for C<sub>43</sub>H<sub>41</sub>N<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 761.2355, [M-H]<sup>+</sup>, found 761.2381.

**(Z)-ethyl 2-(1-(4-chlorophenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxynaphthalen-2-yl)acetate (3f)**



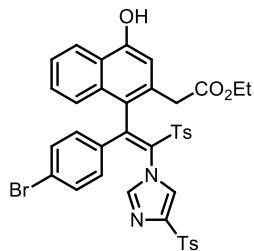
Yellow solid, 140.1mg, 63% yield; mp 124-125 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.06-7.86 (m, 4H), 7.38-7.33 (m, 3H), 7.23-6.78 (m, 12H), 4.11-3.98 (m, 2H), 3.80-3.71 (m, 2H), 2.44 (s, 3H), 2.21 (s, 3H), 1.19-1.15 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.6, 154.1, 147.9, 145.7, 144.6, 143.2, 137.5, 135.6, 135.0, 133.3, 131.9, 131.7, 130.5, 130.1, 130.0, 129.5, 128.4, 128.3, 128.1, 127.8, 126.3, 125.1, 124.4, 124.1, 122.9, 122.8, 110.8, 61.8, 40.0, 21.8, 21.6, 14.1. IR (KBr, v, cm<sup>-1</sup>) 3412, 3126, 2981, 1728, 1624, 1595, 1322, 1082, 813. HR-MS (ESI) m/z calcd for C<sub>39</sub>H<sub>32</sub>ClN<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 739.1339, [M-H]<sup>-</sup>, found 739.1372.

**(Z)-ethyl 2-(1-(3-chlorophenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxynaphthalen-2-yl)acetate (3g)**



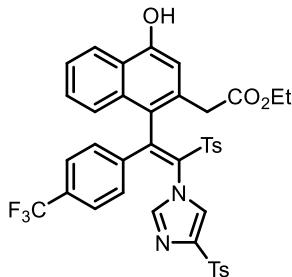
Yellow solid, 144.6mg, 65% yield; mp 126-127 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.07-7.86 (m, 5H), 7.34 (d, J = 8.0 Hz, 3H), 7.13 (s, 4H), 6.94-6.80 (m, 7H), 4.10-3.98 (m, 2H), 3.79-3.70 (m, 2H), 2.45 (s, 3H), 2.22 (s, 3H), 1.19-1.15 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.2, 153.8, 147.7, 145.7, 144.5, 137.5, 137.5, 135.6, 135.0, 133.4, 131.9, 131.7, 130.5, 130.1, 129.9, 129.5, 128.3, 128.3, 128.1, 127.8, 126.3, 125.2, 124.5, 124.0, 123.1, 122.8, 110.9, 100.0, 61.7, 40.0, 21.8, 21.7, 14.1. IR (KBr, v, cm<sup>-1</sup>) 3423, 3180, 1725, 1624, 1595, 1321, 1129, 1044, 866. HR-MS (ESI) m/z calcd for C<sub>39</sub>H<sub>32</sub>ClN<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 739.1339, [M-H]<sup>-</sup>, found 739.1358.

**(Z)-ethyl 2-(1-(4-bromophenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxynaphthalen-2-yl)acetate (3h)**



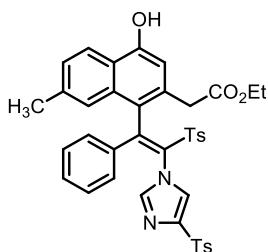
Yellow solid, 150.8mg, 64% yield; mp 132-133 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.06 (d, J = 8.0 Hz, 1H), 7.84 (d, J = 8.0 Hz, 3H), 7.40-7.34 (m, 3H), 7.23-6.66 (m, 12H), 4.04-3.94 (m, 2H), 3.74-3.66 (m, 2H), 2.47 (s, 3H), 2.22 (s, 3H), 1.16-1.12 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.5, 153.9, 148.1, 145.6, 144.6, 143.2, 137.5, 134.7, 134.5, 133.4, 132.1, 131.9, 131.7, 129.9, 129.9, 129.5, 128.2, 128.0, 127.7, 125.2, 125.0, 124.3, 124.0, 123.0, 122.8, 110.9, 61.7, 39.9, 21.8, 21.6, 14.0. IR (KBr, v, cm<sup>-1</sup>) 3404, 3123, 3063, 1728, 1623, 1595, 1380, 1043, 841. HR-MS (ESI) m/z calcd for C<sub>39</sub>H<sub>32</sub>BrN<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 783.0834, [M-H]<sup>-</sup>, found 783.0848.

**(Z)-ethyl 2-(4-hydroxy-1-(2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3i)**



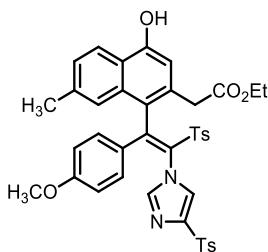
Yellow solid, 146.4 mg, 63% yield; mp 126-127 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.07 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 7.2 Hz, 2H), 7.51-7.29 (m, 5H), 7.24-7.12 (m, 4H), 7.01-6.78 (m, 7H), 4.04-3.91 (m, 2H), 3.77-3.69 (m, 2H), 2.43 (s, 3H), 2.20 (s, 3H), 1.12-1.08 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.6, 154.3, 147.8, 145.8, 144.8, 143.2, 141.5 (q, *J* = 4.6 Hz), 139.2, 137.4, 136.0, 133.1, 131.8 (q, *J* = 32.8 Hz), 131.7, 129.9, 129.5, 128.8, 128.2, 128.0, 127.8, 126.5 (q, *J* = 2.3 Hz), 125.7, 125.7 (q, *J* = 3.6 Hz), 125.0, 124.6, 124.2, 124.1, 122.9, 122.5, 121.9, 110.8, 61.7, 39.9, 21.6, 21.6, 13.9. IR (KBr, v, cm<sup>-1</sup>) 3400, 3122, 3060, 1728, 1625, 1592, 1341, 1064, 894. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>32</sub>F<sub>3</sub>N<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 773.1603, [M-H]<sup>-</sup>, found 773.1594.

**(Z)-ethyl 2-(4-hydroxy-7-methyl-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3k)**



Yellow solid, 151.4 mg, 70% yield; mp 131-132 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.00 (d, *J* = 8.0 Hz, 1H), 7.86 (d, *J* = 8.0 Hz, 2H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.19-6.77 (m, 14H), 4.04-3.91 (m, 2H), 3.74-3.65 (m, 2H), 2.45 (s, 3H), 2.23 (d, *J* = 4.0 Hz, 6H), 1.14-1.11 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.4, 153.6, 149.8, 145.2, 144.4, 142.9, 137.7, 137.1, 135.7, 134.1, 133.8, 132.2, 131.7, 130.4, 129.8, 129.3, 128.9, 128.3, 128.1, 127.0, 123.7, 123.0, 122.6, 122.0, 110.3, 61.5, 39.8, 22.0, 21.7, 21.6, 14.0. IR (KBr, v, cm<sup>-1</sup>) 3412, 3123, 2981, 1728, 1640, 1516, 1104, 976, 863. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>35</sub>N<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 719.1886, [M-H]<sup>-</sup>, found 719.1914.

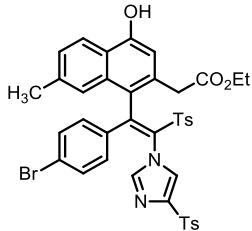
**(Z)-ethyl 2-(4-hydroxy-1-(4-methoxyphenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-7-methylnaphthalen-2-yl)acetate (3l)**



Yellow solid, 144.2 mg, 64% yield; mp 135-136 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 7.99-7.89 (m, 3H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.17-6.49 (m, 13H), 4.04-3.91 (m, 2H), 3.73-3.64 (m, 5H), 2.45 (s, 3H), 2.21 (d, *J* = 12.0 Hz, 6H), 1.14-1.11 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.5, 161.3, 145.0, 144.5, 137.8, 137.1, 134.2, 132.4, 132.1, 131.9, 130.6, 129.9, 129.8, 129.2, 128.1, 128.0, 127.5, 127.0, 123.8, 122.6, 122.6, 122.0, 114.4, 110.4, 100.0, 61.5, 55.3, 39.8, 22.0, 21.8,

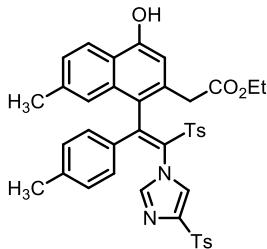
21.6, 14.1. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3400, 3165, 2981, 1725, 1606, 1543, 1225, 1029, 850. HR-MS (ESI) m/z calcd for  $\text{C}_{41}\text{H}_{37}\text{N}_2\text{O}_8\text{S}_2$ , 749.1991, [M-H] $^-$ , found 749.2012.

**(Z)-ethyl 2-(1-(4-bromophenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxy-7-methylnaphthalen-2-ylacetate (3m)**



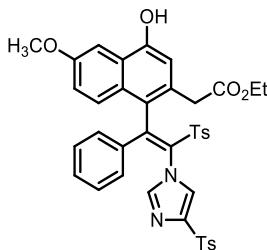
Yellow solid, 148.8mg, 62% yield; mp 134-135  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 8.00 (d,  $J = 8.0$  Hz, 1H), 7.85 (s, 2H), 7.48 (d,  $J = 8.0$  Hz, 2H), 7.40-7.33 (m, 3H), 7.19-7.07 (m, 3H), 6.91-6.73 (m, 7H), 4.07-3.94 (m, 2H), 3.74-3.65 (m, 2H), 2.40 (s, 3H), 2.22 (d,  $J = 8.0$  Hz, 6H), 1.17-1.13 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 171.4, 148.8, 145.4, 144.5, 143.2, 137.3, 135.5, 134.5, 133.8, 133.2, 133.1, 133.1, 132.3, 132.2, 132.0, 131.9, 131.9, 130.0, 129.9, 129.4, 128.4, 128.2, 128.1, 128.1, 127.1, 125.3, 123.6, 123.3, 122.7, 122.1, 121.5, 110.3, 100.0, 91.3, 89.5, 61.6, 40.0, 22.1, 21.8, 21.6, 14.1, 14.1. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3420, 3159, 2986, 1728, 1602, 1513, 1219, 1069, 857. HR-MS (ESI) m/z calcd for  $\text{C}_{40}\text{H}_{34}\text{BrN}_2\text{O}_7\text{S}_2$ , 797.0991, [M-H] $^-$ , found 797.1025.

**(Z)-ethyl 2-(4-hydroxy-7-methyl-1-(p-tolyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-ylacetate (3n)**



Yellow solid, 147.7mg, 67% yield; mp 126-127  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 7.98-7.89 (m, 4H), 7.35 (d,  $J = 8.0$  Hz, 2H), 7.15-6.67 (m, 12H), 4.05-3.90 (m, 2H), 3.74-3.65 (m, 2H), 2.45 (s, 3H), 2.19 (d,  $J = 8.0$  Hz, 9H), 1.14-1.10 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 171.8, 153.8, 150.0, 145.1, 144.4, 142.8, 141.5, 141.1, 137.8, 136.9, 134.0, 133.3, 132.7, 132.3, 131.8, 129.8, 129.6, 129.2, 128.5, 128.1, 128.0, 126.9, 123.8, 122.7, 122.1, 110.3, 61.5, 39.9, 22.0, 21.8, 21.6, 21.3, 14.0. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3423, 3125, 2981, 1729, 1670, 1371, 1219, 1102, 811. HR-MS (ESI) m/z calcd for  $\text{C}_{41}\text{H}_{37}\text{N}_2\text{O}_7\text{S}_2$ , 733.2042, [M-H] $^-$ , found 733.2061.

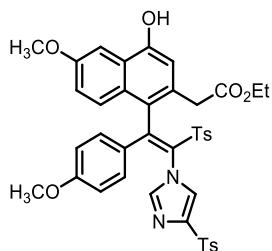
**(Z)-ethyl 2-(4-hydroxy-6-methoxy-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-ylacetate (3o)**



Yellow solid, 161.4mg, 73% yield; mp 123-124  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 7.89-7.82 (m, 4H), 7.45-7.32 (m, 9H), 7.29 (d,  $J = 4.0$  Hz, 3H), 7.23-7.14 (m, 3H), 7.07-6.99 (m, 3H), 6.89-6.79 (m, 8H), 4.04-3.89 (m, 2H), 3.89-3.80 (m,

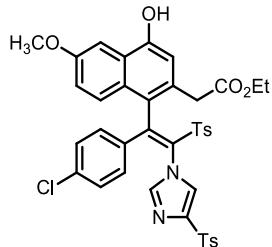
3H), 3.74-3.65 (m, 2H), 2.45 (s, 3H), 2.43 (s, 6H), 2.23 (s, 3H), 1.15-1.11 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 171.6, 157.1, 152.6, 149.4, 147.0, 145.4, 144.6, 144.4, 143.4, 139.6, 137.7, 137.4, 135.6, 134.3, 133.7, 131.3, 130.6, 130.5, 129.8, 129.8, 129.4, 128.9, 128.8, 128.7, 128.3, 128.1, 128.0, 128.0, 127.3, 126.3, 125.1, 123.8, 123.6, 120.1, 111.5, 100.7, 66.5, 61.4, 55.3, 39.5, 21.9, 21.7, 21.5, 14.0. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3408, 3126, 2981, 1726, 1648, 1528, 1136, 1040, 891. HR-MS (ESI) m/z calcd for  $\text{C}_{40}\text{H}_{35}\text{N}_2\text{O}_8\text{S}_2$ , 735.1835, [M-H] $^-$ , found 735.1862.

**(Z)-ethyl 2-(4-hydroxy-6-methoxy-1-(1-(4-methoxyphenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3p)**



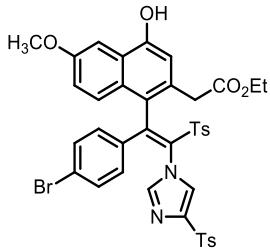
Yellow solid, 154.1mg, 67% yield; mp 125-126 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 7.89-7.87 (m, 3H), 7.35 (d,  $J$  = 8.0 Hz, 3H), 7.21 (d,  $J$  = 4.0 Hz, 1H), 6.99-6.71 (m, 9H), 6.51 (d,  $J$  = 12.0 Hz, 2H), 4.05-3.91 (m, 2H), 3.81 (s, 3H), 3.75-3.64 (m, 5H), 2.45 (s, 3H), 2.21 (s, 3H), 1.15-1.11 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 172.1, 161.3, 157.0, 152.8, 149.1, 145.1, 144.5, 142.9, 137.8, 134.1, 132.3, 130.6, 130.6, 129.9, 129.9, 129.4, 129.1, 128.8, 128.1, 128.1, 128.0, 127.5, 127.4, 126.3, 125.1, 123.6, 120.0, 114.3, 111.5, 100.7, 100.0, 61.5, 55.4, 55.3, 39.5, 21.9, 21.75, 21.7, 21.5, 14.1. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3404, 3125, 3013, 1736, 1649, 1502, 1153, 1002, 706. HR-MS (ESI) m/z calcd for  $\text{C}_{41}\text{H}_{37}\text{N}_2\text{O}_9\text{S}_2$ , 765.1940, [M-H] $^-$ , found 765.1969.

**(Z)-ethyl 2-(1-(4-chlorophenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxy-6-methoxynaphthalen-2-yl)acetate (3q)**



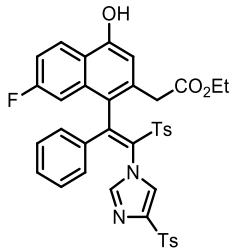
Yellow solid, 145.8mg, 63% yield; mp 121-122 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 7.84 (d,  $J$  = 8.0 Hz, 3H), 7.37 (d,  $J$  = 8.0 Hz, 2H), 7.18-6.74 (m, 13H), 4.07-3.94 (m, 2H), 3.80 (s, 3H), 3.74-3.65 (m, 2H), 2.46 (s, 3H), 2.21 (s, 3H), 1.16-1.13 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 172.1, 157.1, 153.1, 148.2, 145.6, 144.7, 1432, 137.6, 136.7, 134.8, 134.1, 133.6, 130.2, 130.0, 129.9, 129.9, 129.8, 129.5, 129.1, 129.1, 128.2, 128.0, 127.9, 127.9, 127.2, 125.9, 125.2, 122.9, 120.3, 111.4, 100.8, 100.0, 61.7, 55.3, 39.6, 21.8, 21.6, 14.0. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3432, 3120, 2988, 1728, 1601, 1462, 1219, 1013, 871. HR-MS (ESI) m/z calcd for  $\text{C}_{40}\text{H}_{34}\text{ClN}_2\text{O}_8\text{S}_2$ , 769.1445, [M-H] $^-$ , found 769.1472.

**(Z)-ethyl 2-(1-(4-bromophenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)-4-hydroxy-6-methoxynaphthalen-2-yl)acetate (3r)**



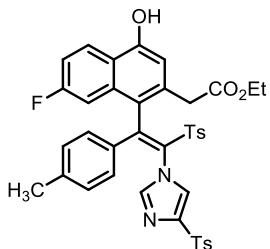
Yellow solid, 159.1mg, 65% yield; mp 130-131 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 7.84 (d, *J* = 8.0 Hz, 3H), 7.38 (d, *J* = 8.0 Hz, 2H), 7.19-7.08 (m, 3H), 6.97-6.79 (m, 8H), 6.67 (d, *J* = 8.0 Hz, 2H), 4.05-3.95 (m, 2H), 3.81 (s, 3H), 3.73-3.64 (m, 2H), 2.47 (s, 3H), 2.22 (s, 3H), 1.17-1.13 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 157.2, 148.1, 145.6, 144.6, 143.3, 137.6, 134.7, 134.6, 133.6, 133.1, 132.1, 131.9, 130.0, 129.9, 129.5, 129.0, 128.2, 128.1, 128.0, 127.2, 125.9, 125.2, 125.1, 123.0, 120.3, 111.4, 100.8, 61.7, 55.4, 39.6, 21.8, 21.6, 14.1. IR (KBr, v, cm<sup>-1</sup>) 3392, 3128, 2931, 1726, 1540, 1326, 1192, 1026, 891. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>34</sub>BrN<sub>2</sub>O<sub>8</sub>S<sub>2</sub>, 813.0940, [M-H]<sup>-</sup>, found 813.0963.

**(Z)-ethyl 2-(7-fluoro-4-hydroxy-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3s)**



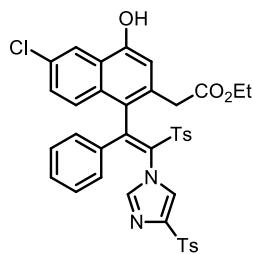
Yellow solid, 147.9mg, 68% yield; mp 125-126 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.03-7.99 (m, 1H), 7.87 (d, *J* = 8.0 Hz, 3H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.21-6.61 (m, 13H), 4.07-3.93 (m, 2H), 3.81-3.71 (m, 2H), 2.45 (s, 3H), 2.22 (s, 3H), 1.17-1.13 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.7, 161.5(<sup>1</sup>J<sub>CF</sub> = 246.7), 154.1, 148.8, 145.6, 144.5, 143.0, 141.3, 137.6, 135.2, 134.7, 133.7, 133.4, 133.2(<sup>4</sup>J<sub>CF</sub> = 8.6), 130.7, 129.8, 129.5, 129.0, 128.3, 128.1(<sup>5</sup>J<sub>CF</sub> = 12.4), 126.5, 125.7, 122.7(<sup>6</sup>J<sub>CF</sub> = 5.0), 120.9, 114.9, 114.7, 110.4, 108.3(<sup>2</sup>J<sub>CF</sub> = 22.0), 61.7, 39.9, 21.7(<sup>3</sup>J<sub>CF</sub> = 16.7), 14.0. IR (KBr, v, cm<sup>-1</sup>) 3396, 3125, 2981, 1728, 1632, 1475, 1323, 1082, 855. HR-MS (ESI) m/z calcd for C<sub>39</sub>H<sub>32</sub>FN<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 723.1635, [M-H]<sup>-</sup>, found 723.1661.

**(Z)-ethyl 2-(7-fluoro-4-hydroxy-1-(1-(p-tolyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3t)**



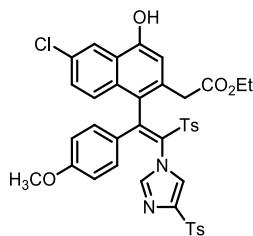
Yellow solid, 137.5mg, 62% yield; mp 127-128 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 7.90-7.79 (m, 4H), 7.57 (d, *J* = 12.0 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.12-7.09 (m, 1H), 6.96-6.78 (m, 8H), 6.68 (d, *J* = 8.0 Hz, 2H), 4.07-3.91 (m, 2H), 3.78-3.68 (m, 2H), 2.45 (s, 3H), 2.22 (d, *J* = 12.0 Hz, 6H), 1.16-1.12 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.9, 160.1(<sup>1</sup>J<sub>CF</sub> = 245.1), 153.4, 153.3, 149.2, 145.5, 144.5, 142.9, 141.4, 137.7, 133.9, 133.8, 132.4, 131.0(<sup>6</sup>J<sub>CF</sub> = 2.7), 130.4, 130.2, 129.9, 129.7, 129.5, 129.1, 129.0, 128.5, 128.1, 128.1, 127.2(<sup>5</sup>J<sub>CF</sub> = 8.9), 126.7, 125.1(<sup>4</sup>J<sub>CF</sub> = 8.9), 123.5, 117.5(<sup>3</sup>J<sub>CF</sub> = 24.5), 111.7, 106.6(<sup>2</sup>J<sub>CF</sub> = 21.9), 61.7, 39.7, 21.8, 21.8, 21.6, 21.4, 14.0. IR (KBr, v, cm<sup>-1</sup>) 3431, 3129, 2980, 1728, 1406, 1323, 1108, 972, 861. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>34</sub>FN<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 737.1791, [M-H]<sup>-</sup>, found 737.1798.

**(Z)-ethyl 2-(6-chloro-4-hydroxy-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3u)**



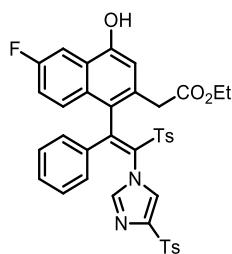
Yellow solid, 146.8mg, 66% yield; mp 130-131 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 7.87-7.81 (m, 4H), 7.59 (s, 1H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.22-7.18 (m, 1H), 7.09-7.02 (m, 4H), 6.93-6.79 (m, 7H), 4.06-3.90 (m, 2H), 3.80-3.70 (m, 2H), 2.45 (s, 3H), 2.26 (s, 3H), 1.16-1.13 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.9, 153.2, 149.0, 145.7, 144.5, 143.0, 141.3, 137.5, 135.3, 134.8, 133.8, 132.0, 131.0, 130.7, 130.2, 129.8, 129.5, 129.0, 128.3, 128.2, 128.1, 128.0, 126.6, 126.1, 124.7, 123.1, 121.8, 112.0, 61.8, 39.7, 21.7, 21.6, 14.0. IR (KBr, ν, cm<sup>-1</sup>) 3386, 2923, 2853, 1728, 1593, 1476, 1154, 1082, 853. HR-MS (ESI) m/z calcd for C<sub>39</sub>H<sub>32</sub>ClN<sub>2</sub>O<sub>7</sub>S<sub>2</sub>, 739.1339, [M-H]<sup>-</sup>, found 739.1367.

**(Z)-ethyl 2-(6-chloro-4-hydroxy-1-(1-(4-methoxyphenyl)-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3v)**



Yellow solid, 145.8mg, 63% yield; mp 110-111 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 7.94-7.91 (m, 5H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.22-7.19 (m, 1H), 6.96-6.52 (m, 10H), 4.08-3.90 (m, 2H), 3.79-3.69 (m, 5H), 2.45 (s, 3H), 2.23 (s, 3H), 1.16-1.12 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.9, 161.5, 161.5, 154.0, 148.4, 148.4, 145.4, 144.5, 143.2, 137.7, 134.0, 133.6, 133.5, 133.5, 132.9, 132.6, 130.6, 129.9, 129.6, 128.1, 127.7, 127.0, 125.5, 124.6, 123.4, 122.6, 122.1, 122.1, 114.6, 111.5, 100.0, 61.8, 55.4, 39.9, 21.8, 21.6, 14.0. IR (KBr, ν, cm<sup>-1</sup>) 3409, 3129, 3051, 1729, 1605, 1341, 12349, 1088, 801. HR-MS (ESI) m/z calcd for C<sub>40</sub>H<sub>34</sub>ClN<sub>2</sub>O<sub>8</sub>S<sub>2</sub>, 769.1445, [M-H]<sup>-</sup>, found 769.1460.

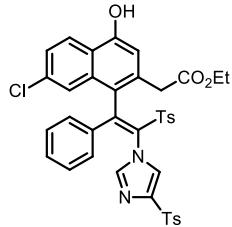
**(Z)-ethyl 2-(6-fluoro-4-hydroxy-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3w)**



Yellow solid, 141.3mg, 65% yield; mp 130-131 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 7.85 (d, *J* = 8.0 Hz, 3H), 7.58-7.54 (m, 1H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.20-6.80 (m, 13H), 4.06-3.92 (m, 2H), 3.79-3.69 (m, 2H), 2.45 (s, 3H), 2.24 (s, 3H), 1.16-1.12 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.8, 160.0(<sup>1</sup>*J*<sub>CF</sub> = 244.9), 153.4, 149.1, 145.6, 144.5, 142.9, 141.4, 137.5, 135.3, 134.6, 133.7, 130.8(<sup>6</sup>*J*<sub>CF</sub> = 2.3), 130.7, 129.8, 129.5, 129.0, 128.3, 128.1, 127.1(<sup>4</sup>*J*<sub>CF</sub> = 8.6), 126.6, 125.1(<sup>5</sup>*J*<sub>CF</sub> = 8.9), 123.3, 117.6, 117.3, 111.7, 106.6(<sup>3</sup>*J*<sub>CF</sub> = 21.8), 61.7, 39.7, 21.6(<sup>2</sup>*J*<sub>CF</sub> = 18.8), 14.0. IR (KBr, ν, cm<sup>-1</sup>) 3405,

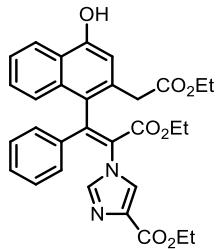
3126, 2981, 1728, 1607, 1400, 1082, 1044, 872. HR-MS (ESI) m/z calcd for  $C_{39}H_{32}FN_2O_7S_2$ , 723.1635, [M-H]<sup>-</sup>, found 723.1664.

**(Z)-ethyl 2-(7-chloro-4-hydroxy-1-(1-phenyl-2-tosyl-2-(4-tosyl-1H-imidazol-1-yl)vinyl)naphthalen-2-yl)acetate (3x)**



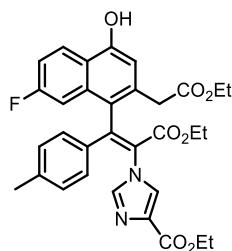
Yellow solid, 155.7mg, 70% yield; mp 134-135 °C; <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 7.95-7.87 (m, 4H), 7.34 (d,  $J$  = 8.0 Hz, 2H), 7.24-6.81 (m, 13H), 4.08-3.90 (m, 2H), 3.80-3.70 (m, 2H), 2.45 (s, 3H), 2.24 (s, 3H), 1.16-1.12 (m, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 171.7, 154.1, 148.8, 145.7, 144.5, 143.0, 141.3, 137.6, 135.3, 134.7, 133.6, 133.3, 132.7, 130.7, 129.8, 129.6, 129.0, 128.3, 128.1, 127.8, 126.5, 125.5, 124.7, 123.3, 122.4, 122.2, 111.4, 61.8, 39.9, 21.7, 21.6, 14.0. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3412, 3143, 2981, 1728, 1620, 1511, 1323, 1185, 813. HR-MS (ESI) m/z calcd for  $C_{39}H_{32}\text{ClN}_2O_7S_2$ , 739.1339, [M-H]<sup>-</sup>, found 739.1349.

**(E)-ethyl 1-(3-ethoxy-1-(2-(2-ethoxy-2-oxoethyl)-4-hydroxynaphthalen-1-yl)-3-oxo-1-phenylprop-1-en-2-yl)-1H-imidazole-4-carboxylate (3y)**



Yellow solid, 104.2mg, 64% yield; mp 101-102 °C; <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 8.20 (d,  $J$  = 8.0 Hz, 1H), 7.76 (d,  $J$  = 8.0 Hz, 2H), 7.64 (s, 1H), 7.47-7.40 (m, 2H), 7.21-7.12 (m, 3H), 6.97-6.94 (m, 3H), 4.39-4.34 (m, 2H), 4.01-3.92 (m, 2H), 3.74-3.69 (m, 2H), 3.67-3.58 (m, 2H), 1.38-1.34 (m, 3H), 1.14-1.11 (m, 3H), 0.47-0.44 (m, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 171.3, 163.6, 162.5, 153.3, 147.2, 139.3, 136.4, 134.0, 132.9, 129.8, 129.6, 128.9, 128.9, 128.5, 127.6, 127.1, 126.7, 126.5, 125.1, 124.6, 124.2, 122.5, 110.7, 61.8, 61.3, 60.9, 39.6, 14.3, 14.0, 13.0. IR (KBr,  $\nu$ ,  $\text{cm}^{-1}$ ) 3123, 2981, 2930, 1716, 1623, 1594, 1382, 1133, 982. HR-MS (ESI) m/z calcd for  $C_{31}H_{29}\text{N}_2\text{O}_7$ , 541.1975, [M-H]<sup>-</sup>, found 541.1988.

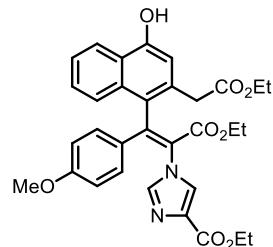
**(E)-ethyl 1-(3-ethoxy-1-(2-(2-ethoxy-2-oxoethyl)-7-fluoro-4-hydroxynaphthalen-1-yl)-3-oxo-1-(p-tolyl)prop-1-en-2-yl)-1H-imidazole-4-carboxylate (3z)**



Yellow solid, 103.4mg, 60% yield; mp 101-102 °C; <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm) 7.77-7.69 (m, 4H), 7.22-7.17 (m, 1H), 6.96 (d,  $J$  = 8.0 Hz, 3H), 6.81 (d,  $J$  = 8.0 Hz, 2H), 4.38-4.33 (m, 2H), 4.01-3.92 (m, 2H), 3.76-3.70 (m, 2H), 3.67-3.57 (m, 2H), 2.22 (s, 3H), 1.37-1.33 (m, 3H), 1.15-1.11 (m, 3H), 0.51-0.48 (m, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ ;  $\delta$ , ppm)

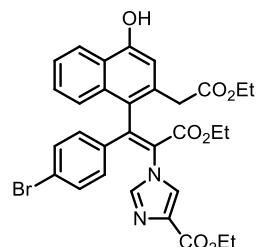
171.5, 162.5 ( $^1J_{CF} = 221.4$ ), 159.0, 153.0, 153.0, 140.7, 133.2, 130.0 ( $^2J_{CF} = 17.1$ ), 129.8, 128.9, 128.8, 128.8, 128.7 ( $^6J_{CF} = 1.8$ ), 127.3 ( $^4J_{CF} = 8.5$ ), 127.1, 126.8, 125.8, 125.4 ( $^5J_{CF} = 8.9$ ), 117.8, 117.5, 111.6, 106.5 ( $^3J_{CF} = 23.8$ ), 61.9, 61.4, 61.1, 39.4, 31.7, 22.7, 21.3, 14.4, 14.2, 14.0, 13.1. IR (KBr, v, cm<sup>-1</sup>) 3126, 2990, 2946, 1716, 1621, 1531, 1219, 1015, 987. HR-MS (ESI) m/z calcd for C<sub>32</sub>H<sub>30</sub>FN<sub>2</sub>O<sub>7</sub>, 573.2037, [M-H]<sup>-</sup>, found 573.2041.

**(E)-ethyl 1-(3-ethoxy-1-(2-(2-ethoxy-2-oxoethyl)-4-hydroxynaphthalen-1-yl)-1-(4-methoxyphenyl)-3-oxoprop-1-en-2-yl)-1H-imidazole-4-carboxylate (3aa)**



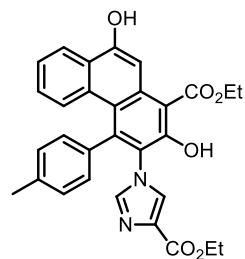
Yellow solid, 110.0mg, 64% yield; mp 103-104 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.20-8.17 (m, 1H), 8.01 (s, 1H), 7.77-7.69 (m, 2H), 7.47-7.41 (m, 2H), 6.94 (s, 1H), 6.85 (d, J = 8.0 Hz, 2H), 6.67 (d, J = 8.0 Hz, 2H), 4.37-4.31 (m, 2H), 4.03-3.95 (m, 2H), 3.73-3.68 (m, 5H), 3.67-3.57 (m, 2H), 1.36-1.33 (m, 3H), 1.17-1.14 (m, 3H), 0.478-0.45 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.3, 163.6, 161.0, 152.8, 133.0, 130.9, 129.5, 128.1, 127.7, 127.7, 127.0, 125.2, 124.8, 124.1, 122.3, 114.6, 110.8, 100.0, 61.8, 61.4, 61.1, 55.4, 39.5, 14.4, 14.1, 13.0. IR (KBr, v, cm<sup>-1</sup>) 3125, 2981, 2940, 1718, 1619, 1596, 1210, 1149, 945. HR-MS (ESI) m/z calcd for C<sub>32</sub>H<sub>31</sub>N<sub>2</sub>O<sub>8</sub>, 571.2080, [M-H]<sup>-</sup>, found 571.2096.

**(E)-ethyl 1-(1-(4-bromophenyl)-3-ethoxy-1-(2-(2-ethoxy-2-oxoethyl)-4-hydroxynaphthalen-1-yl)-3-oxoprop-1-en-2-yl)-1H-imidazole-4-carboxylate (3bb)**



Yellow solid, 117.5mg, 63% yield; mp 115-116 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>; δ, ppm) 8.18 (d, J = 8.0 Hz, 1H), 7.76-7.68 (m, 3H), 7.48-7.40 (m, 2H), 7.29 (d, J = 8.0 Hz, 2H), 6.94 (s, 1H), 6.83 (d, J = 12.0 Hz, 2H), 4.40-4.35 (m, 2H), 4.02-3.92 (m, 2H), 3.74-3.69 (m, 2H), 3.66-3.55 (m, 2H), 1.39-1.35 (m, 3H), 1.16-1.12 (m, 3H), 0.48-0.44 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>; δ, ppm) 171.3, 163.4, 153.6, 135.3, 132.9, 132.3, 130.5, 129.8, 127.9, 126.8, 126.5, 126.5, 125.3, 124.6, 124.4, 124.3, 122.6, 110.8, 62.1, 61.5, 61.1, 39.6, 14.4, 14.1, 13.0. IR (KBr, v, cm<sup>-1</sup>) 3129, 2980, 2956, 1718, 1540, 1419, 1103, 961, 854. HR-MS (ESI) m/z calcd for C<sub>31</sub>H<sub>28</sub>BrN<sub>2</sub>O<sub>7</sub>, 619.1080, [M-H]<sup>-</sup>, found 619.1109.

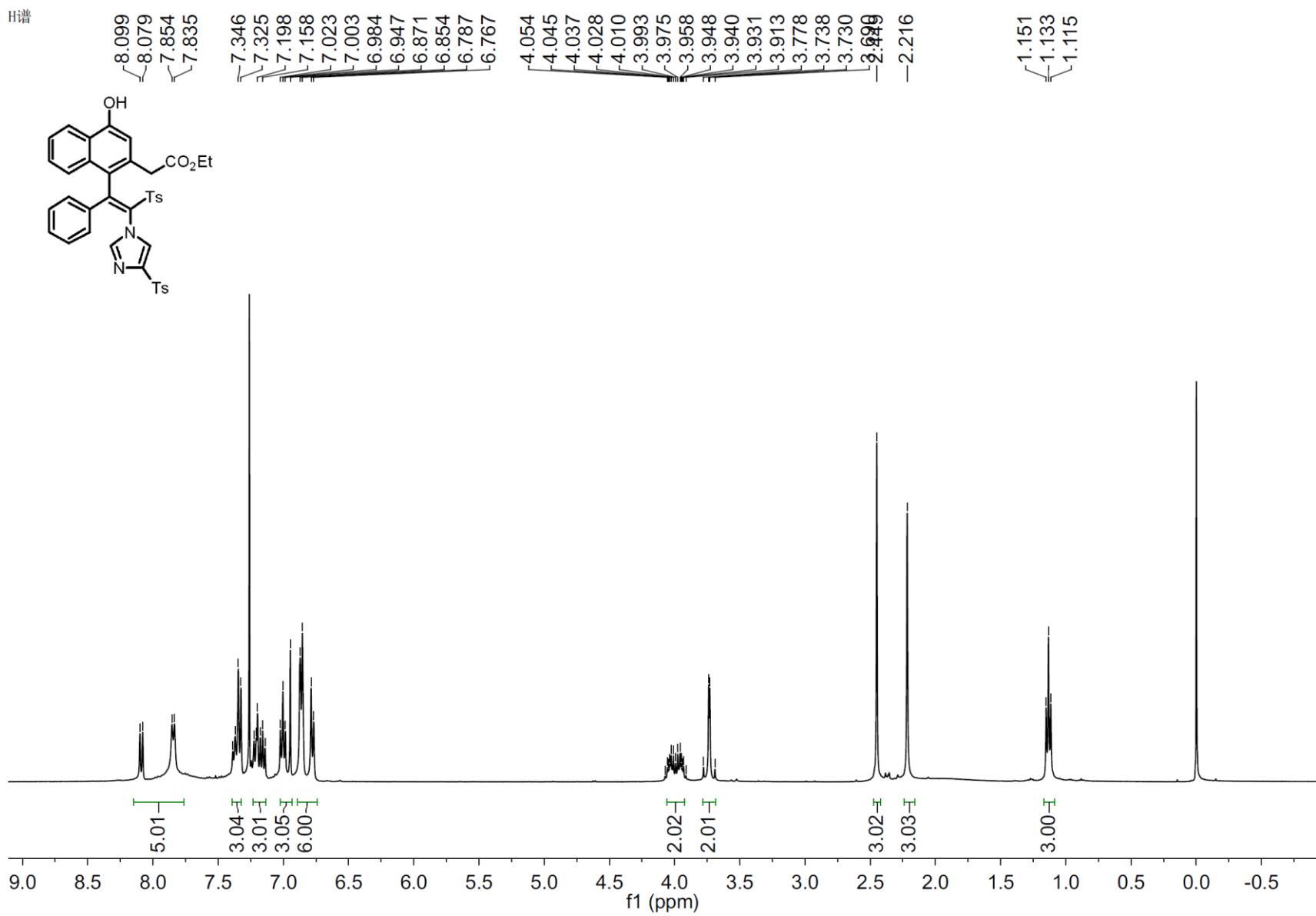
**ethyl 1-(1-(ethoxycarbonyl)-2,9-dihydroxy-4-(p-tolyl)phenanthren-3-yl)-1H-imidazole-4-carboxylate (5a)**



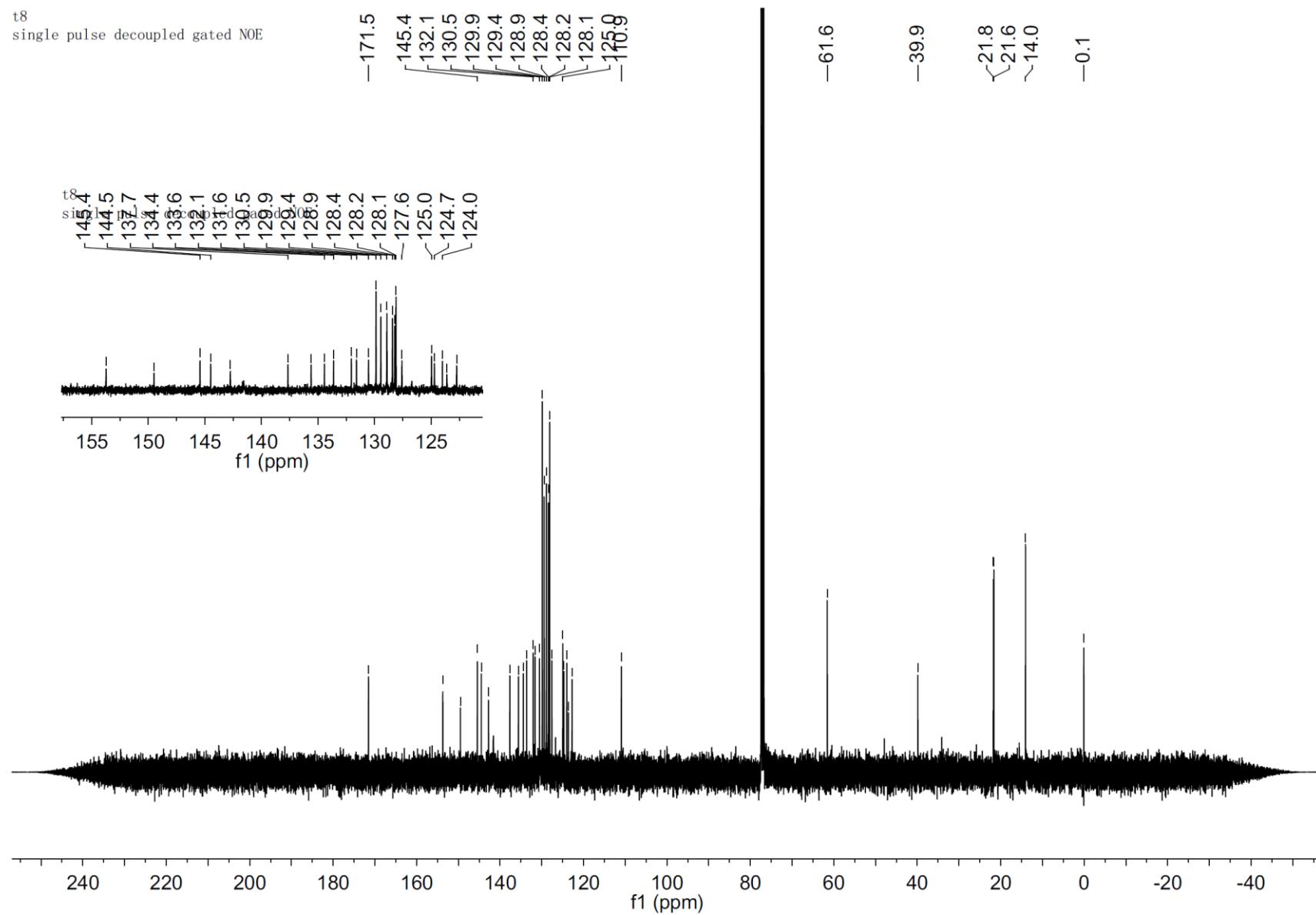
Yellow solid, 116.4mg, 76% yield; mp 125-126 °C; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>; δ, ppm) 10.80 (s, 1H), 10.13 (s, 1H),

8.24-8.21 (m, 1H), 7.79 (d,  $J$ = 0.8 Hz, 1H), 7.53 (d,  $J$ = 1.2 Hz, 1H), 7.43-7.40 (m, 1H), 7.19-7.16 (m, 4H), 7.10-7.02 (m, 3H), 4.50-4.45 (m, 2H), 4.21-4.16 (m, 2H), 2.34 (s, 3H), 1.41 (m, 3H), 1.24 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO-*d*<sub>6</sub>;  $\delta$ , ppm) 168.0, 162.7, 153.8, 149.2, 141.3, 141.0, 137.4, 136.1, 133.4, 132.3, 131.9, 129.9, 129.7, 129.2, 126.9, 126.5, 125.8, 123.4, 122.8, 118.0, 116.6, 101.9, 62.0, 59.9, 21.4, 14.8, 14.6. IR (KBr,  $\nu$ , cm<sup>-1</sup>) 3412, 3120, 3055, 1729, 1689, 1603, 1408, 1090, 816. HR-MS (ESI) m/z calcd for C<sub>30</sub>H<sub>25</sub>N<sub>2</sub>O<sub>6</sub>, 509.1713, [M-H]<sup>-</sup>, found 509.1710.

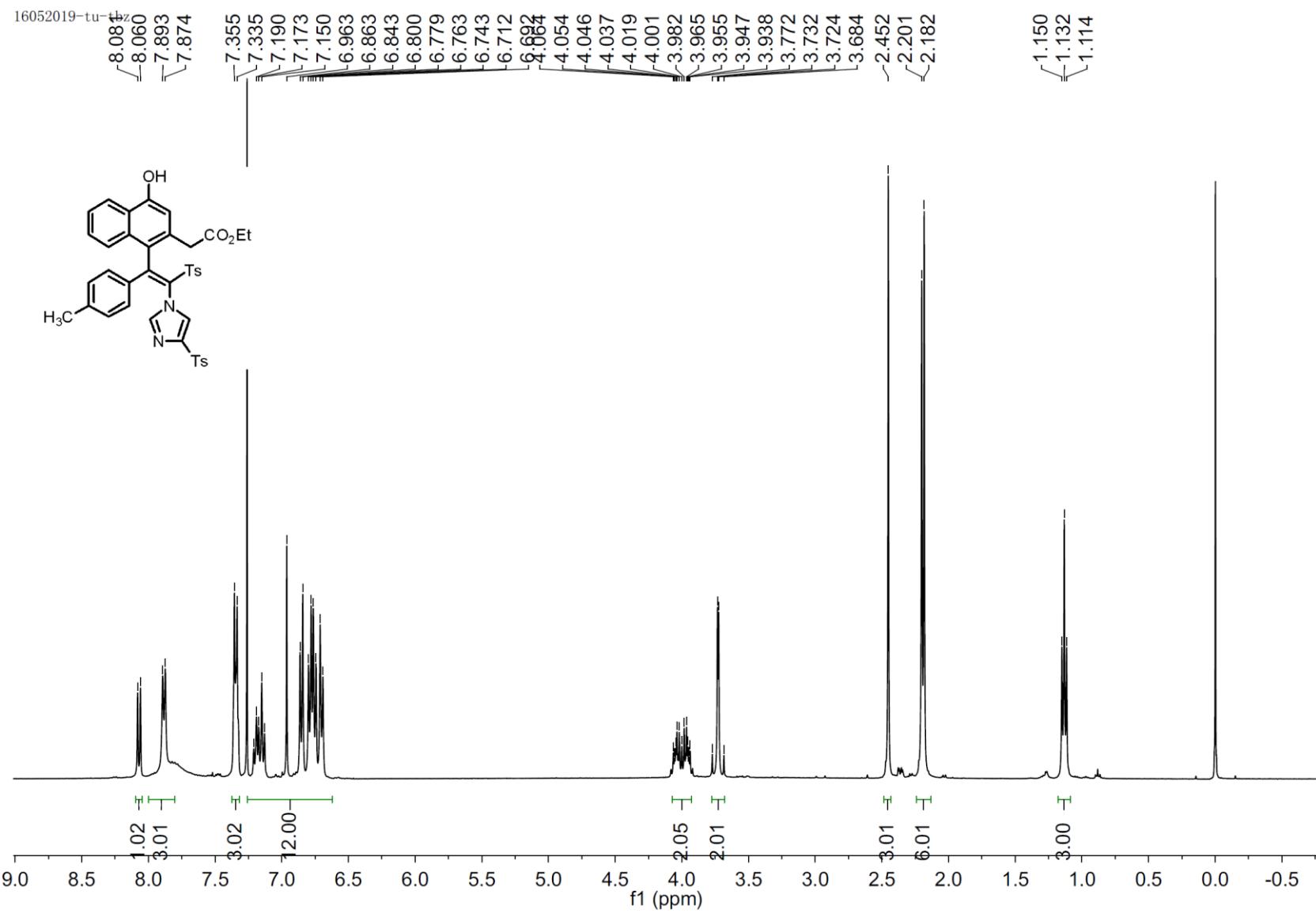
H谱



$^1\text{H}$  NMR Spectrum of Compound 3a

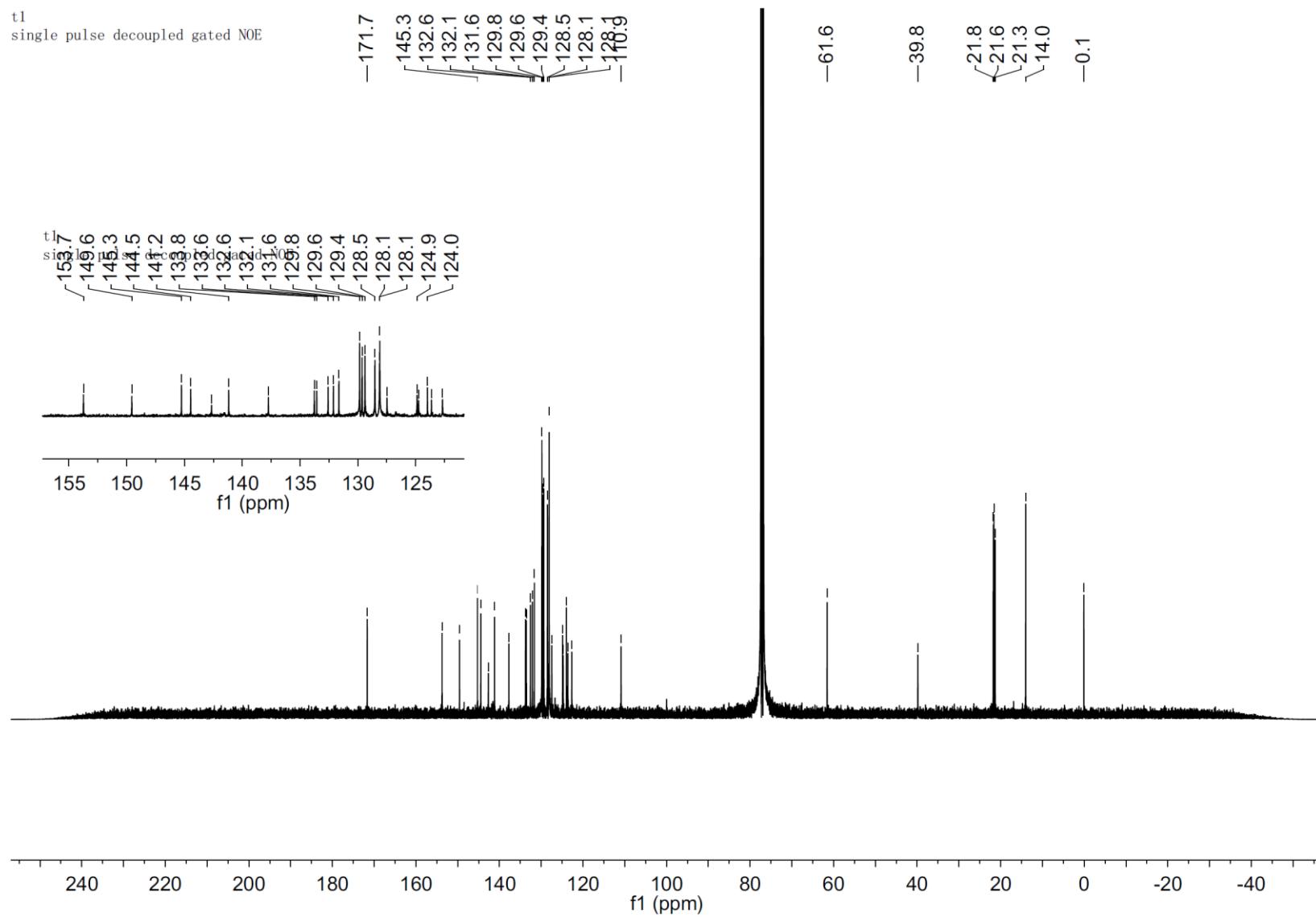


<sup>13</sup>C NMR Spectrum of Compound 3a

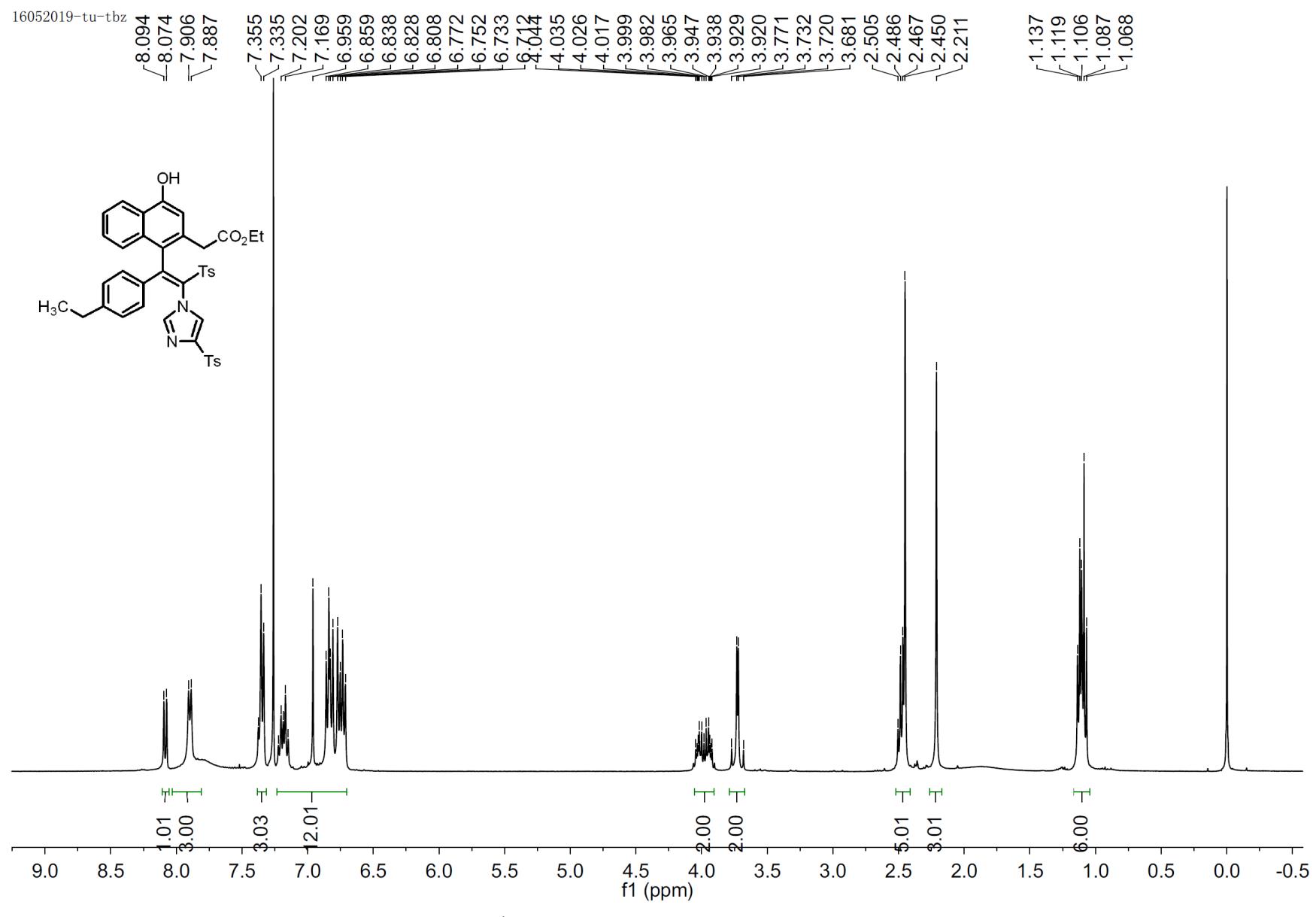


**<sup>1</sup>H NMR Spectrum of Compound 3b**

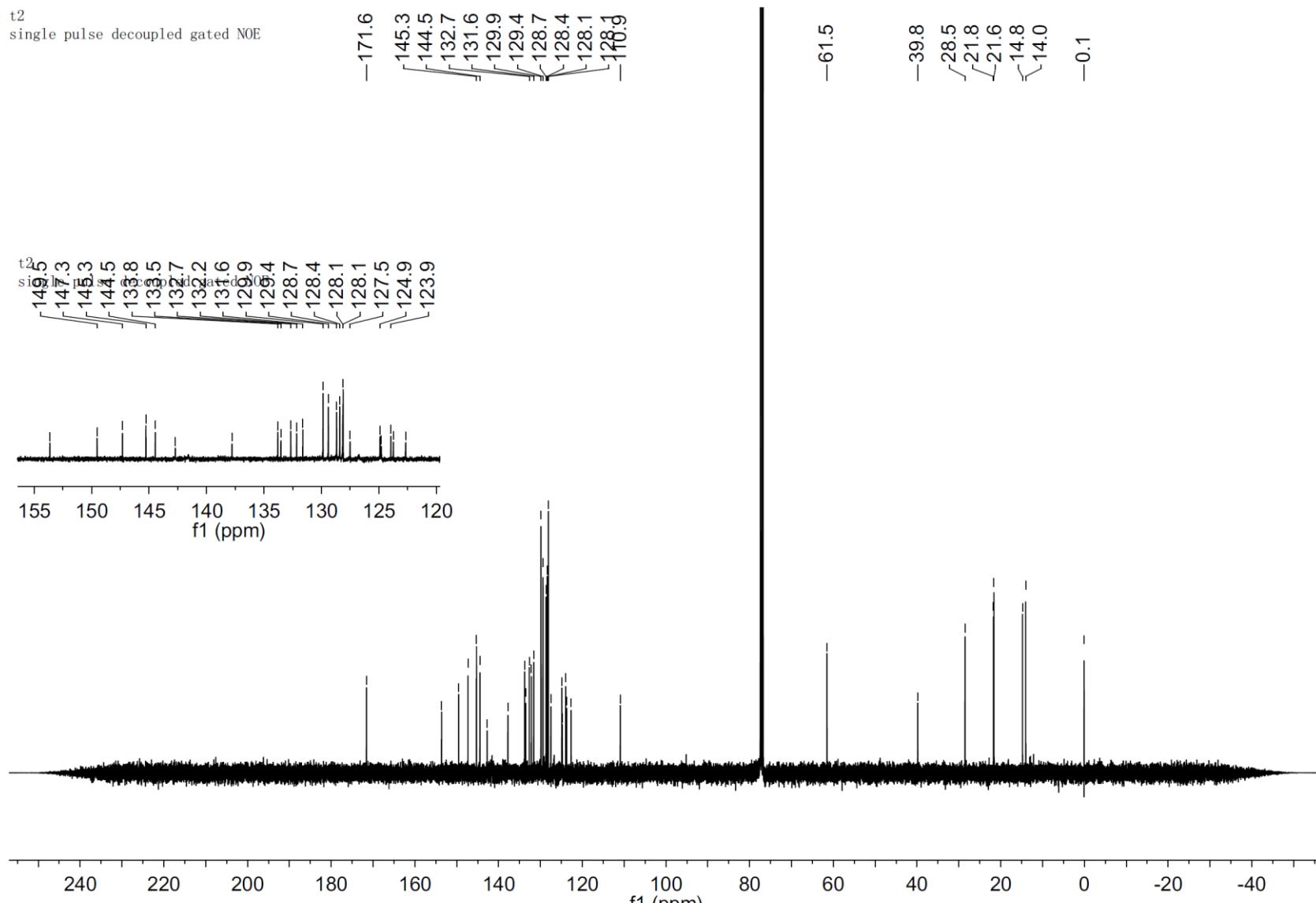
t<sub>1</sub>  
single pulse decoupled gated NOE



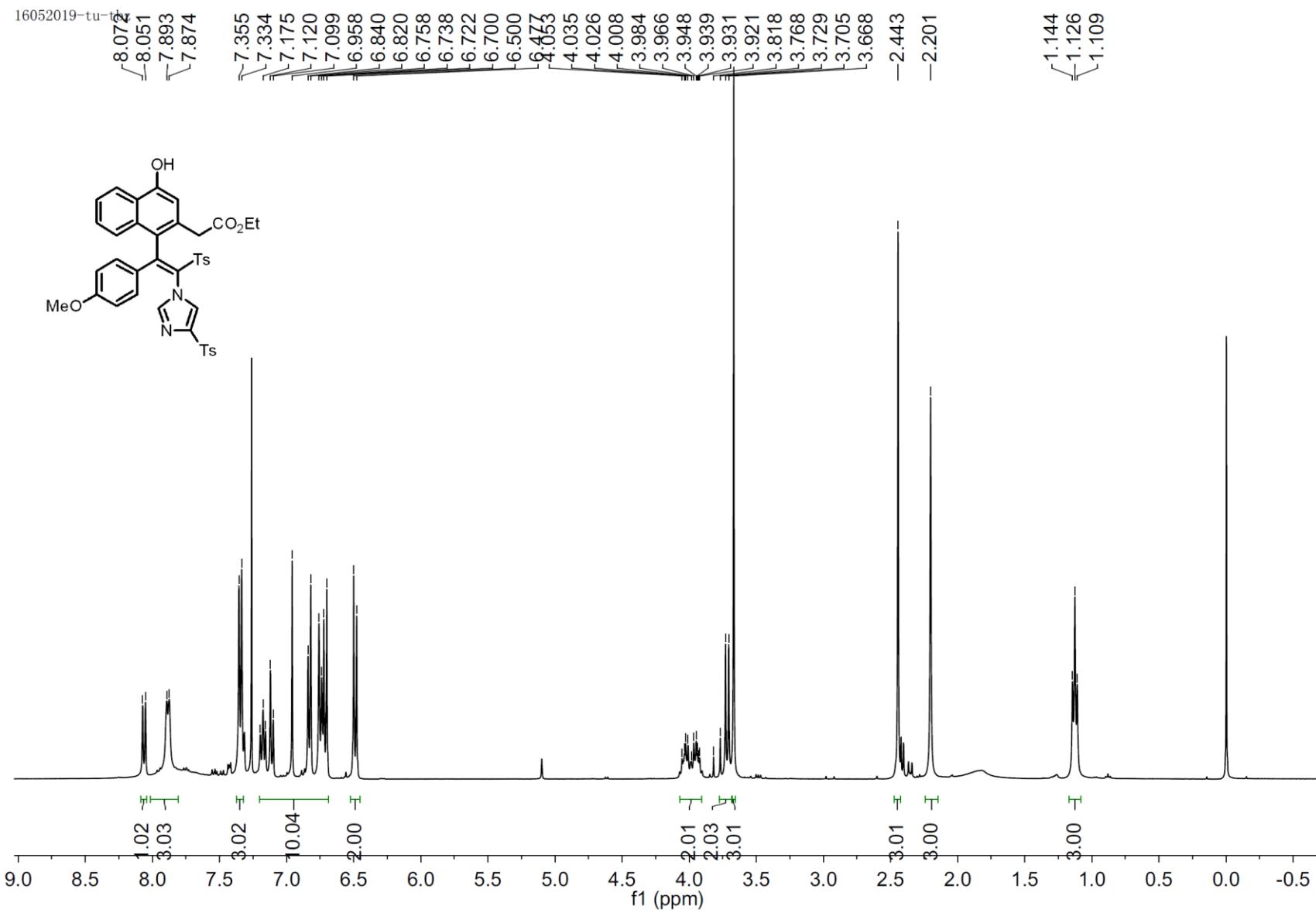
<sup>13</sup>C NMR Spectrum of Compound 3b



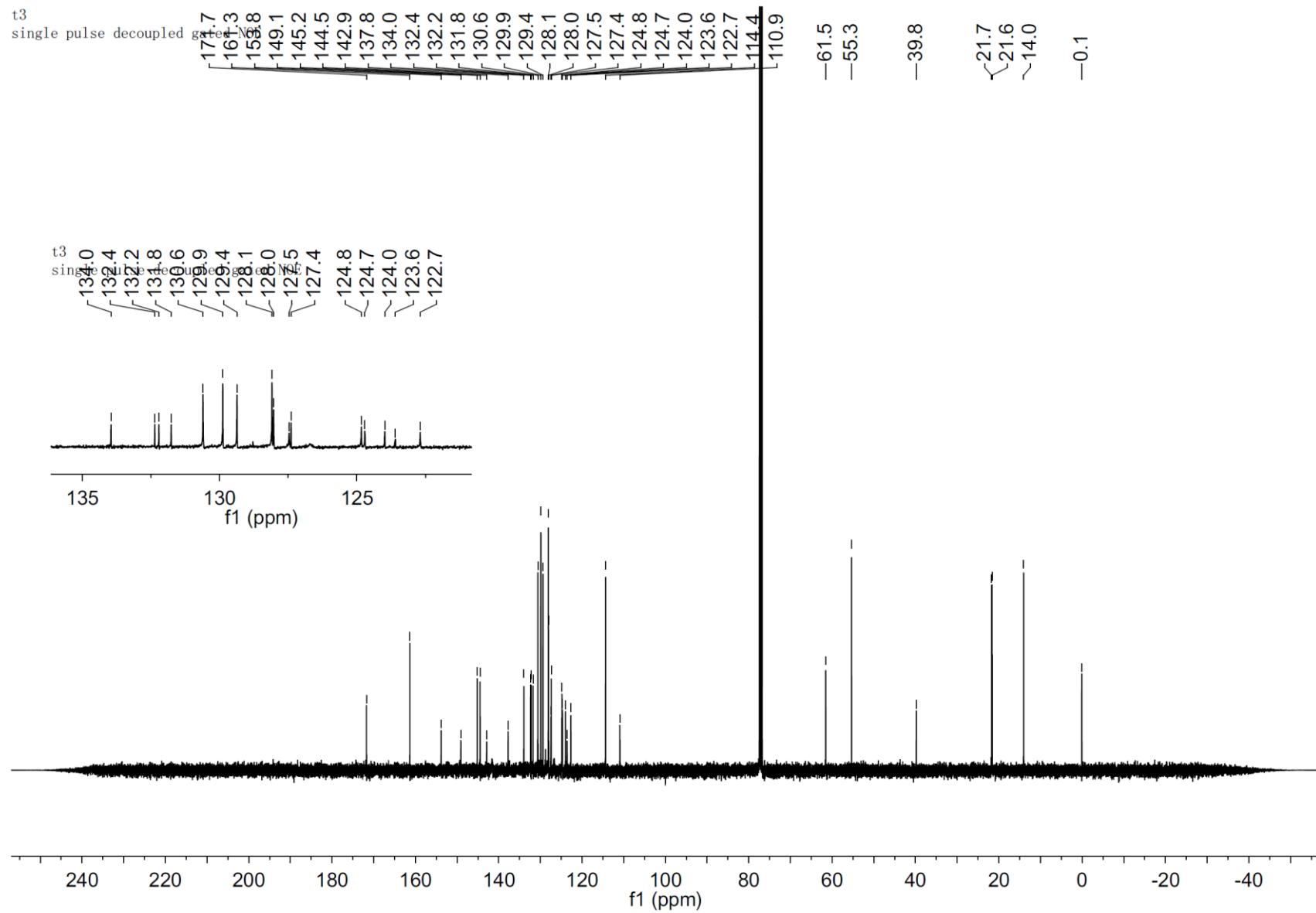
$t_2$   
single pulse decoupled gated NOE



$^{13}\text{C}$  NMR Spectrum of Compound 3c

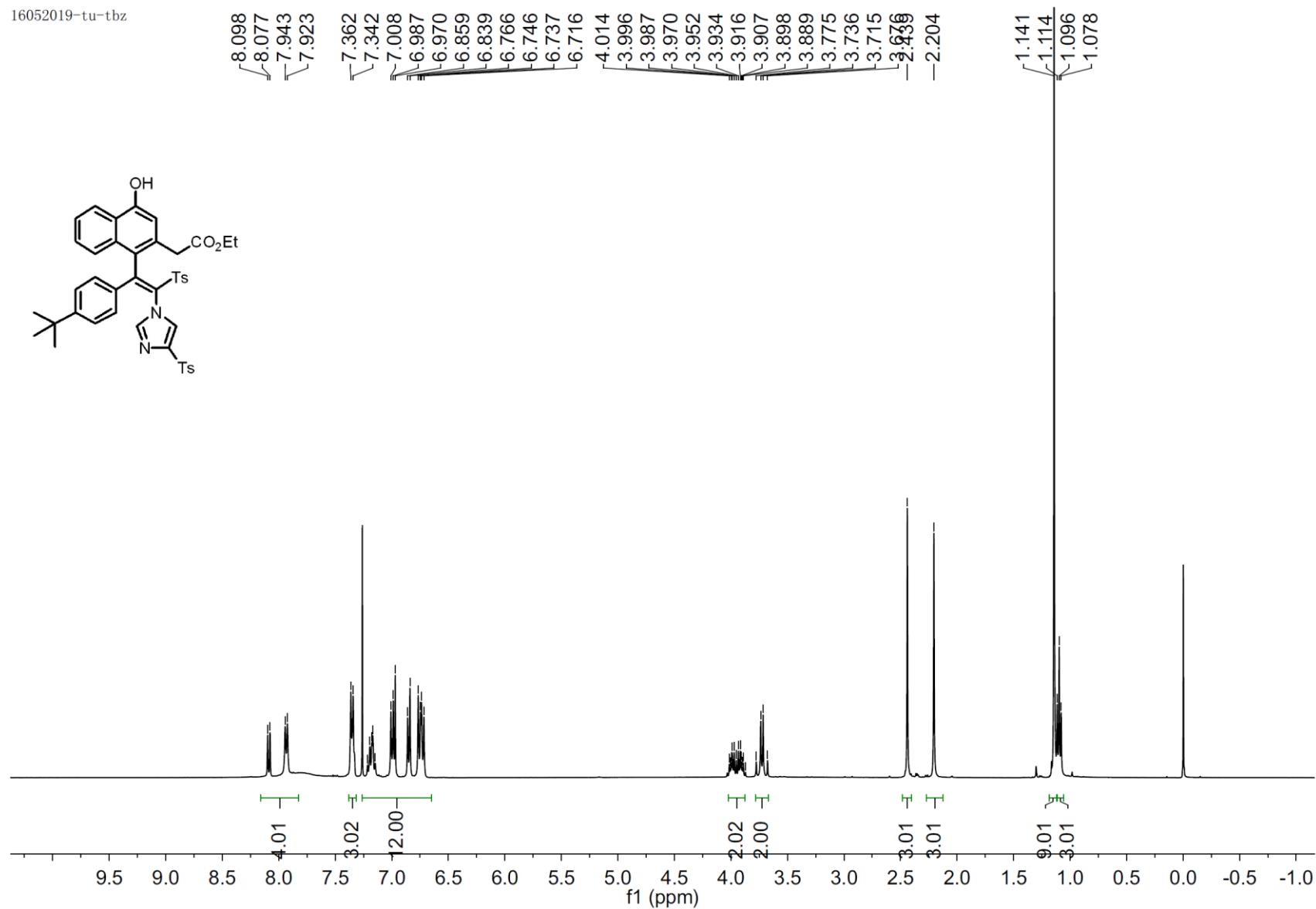


<sup>1</sup>H NMR Spectrum of Compound 3d



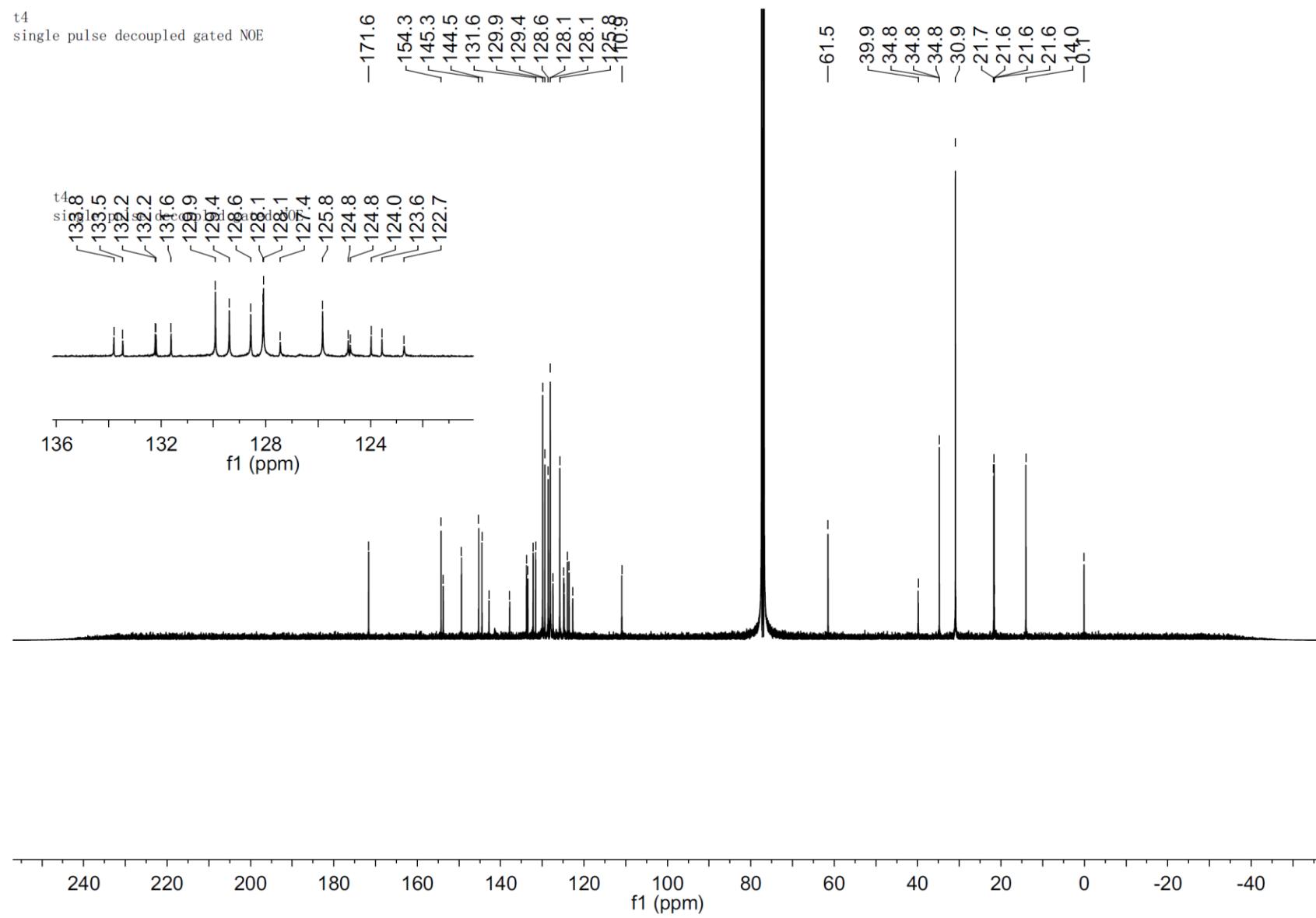
<sup>13</sup>C NMR Spectrum of Compound 3d

16052019-tu-tbz

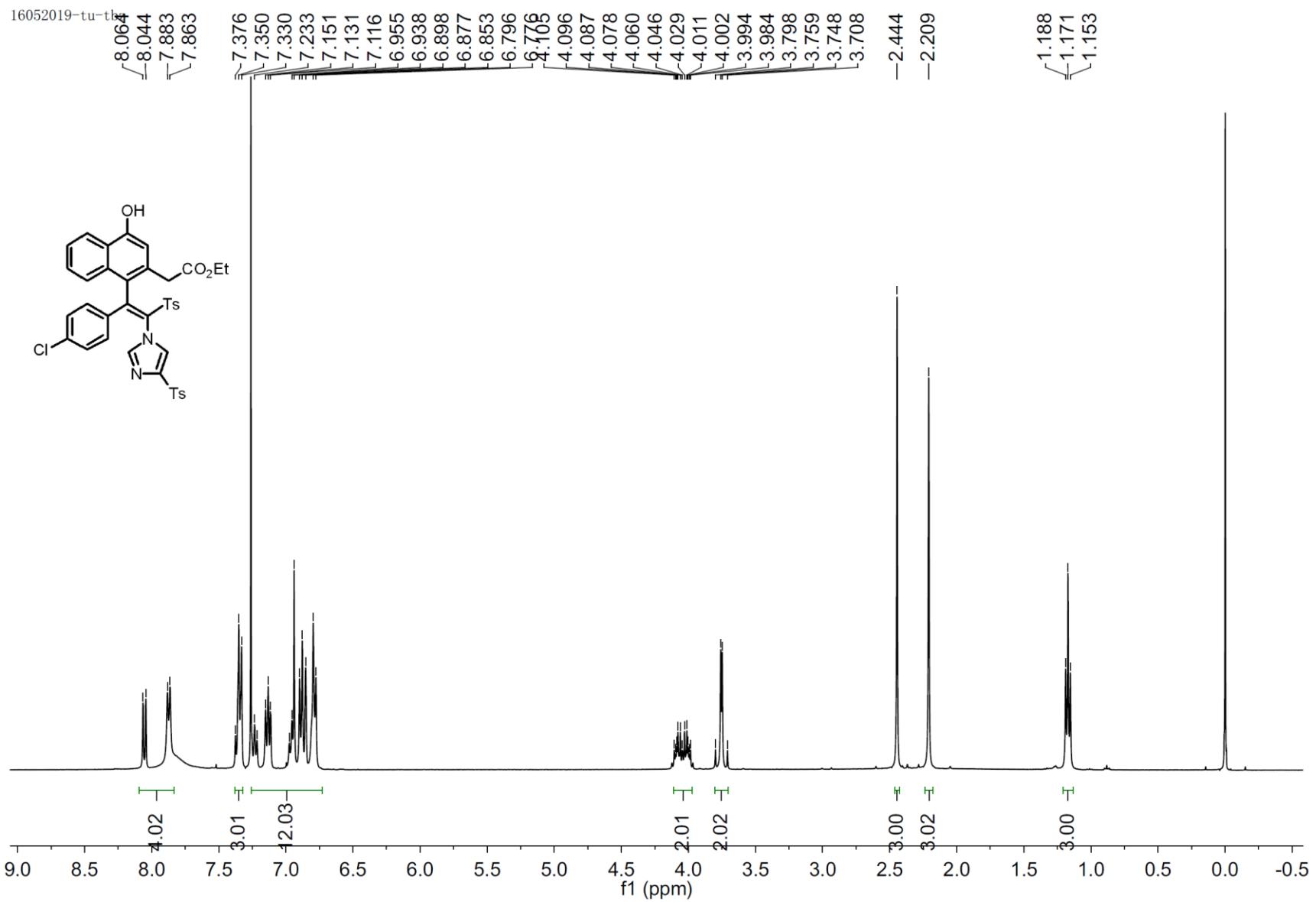


$^1\text{H}$  NMR Spectrum of Compound 3e

t<sub>4</sub>  
single pulse decoupled gated NOE

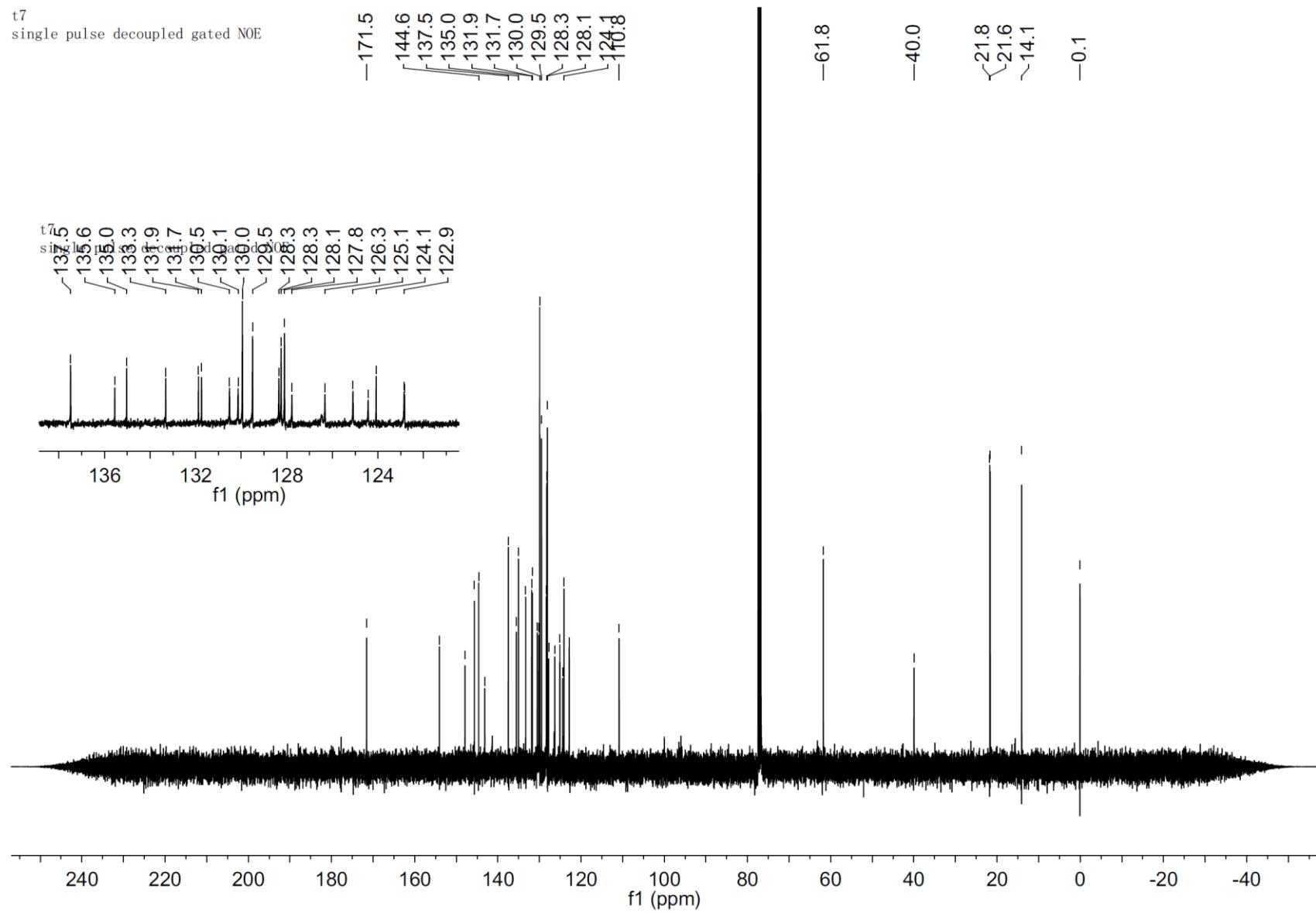


<sup>13</sup>C NMR Spectrum of Compound 3e



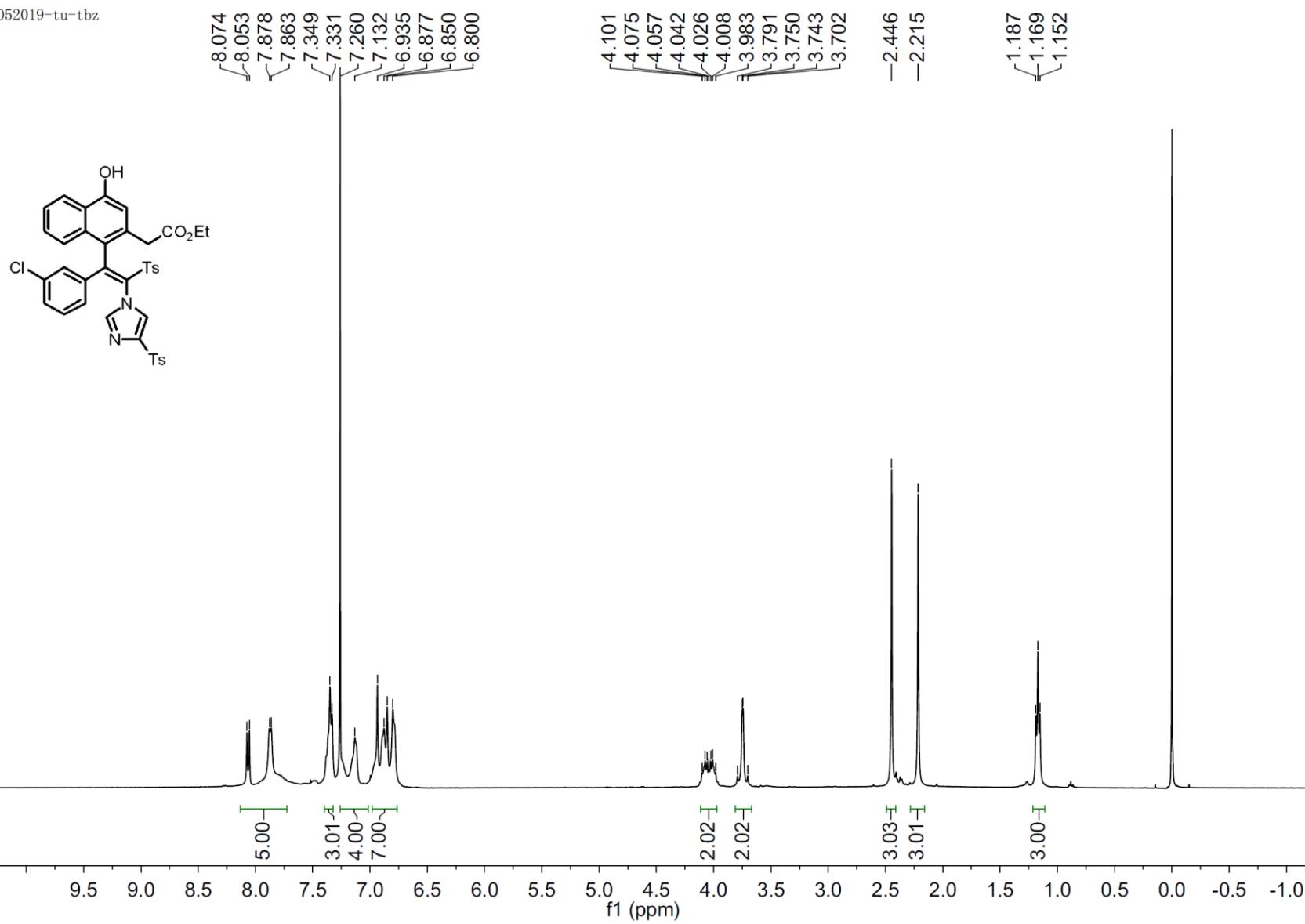
**$^1\text{H}$  NMR Spectrum of Compound 3f**

t<sub>7</sub>  
single pulse decoupled gated NOE



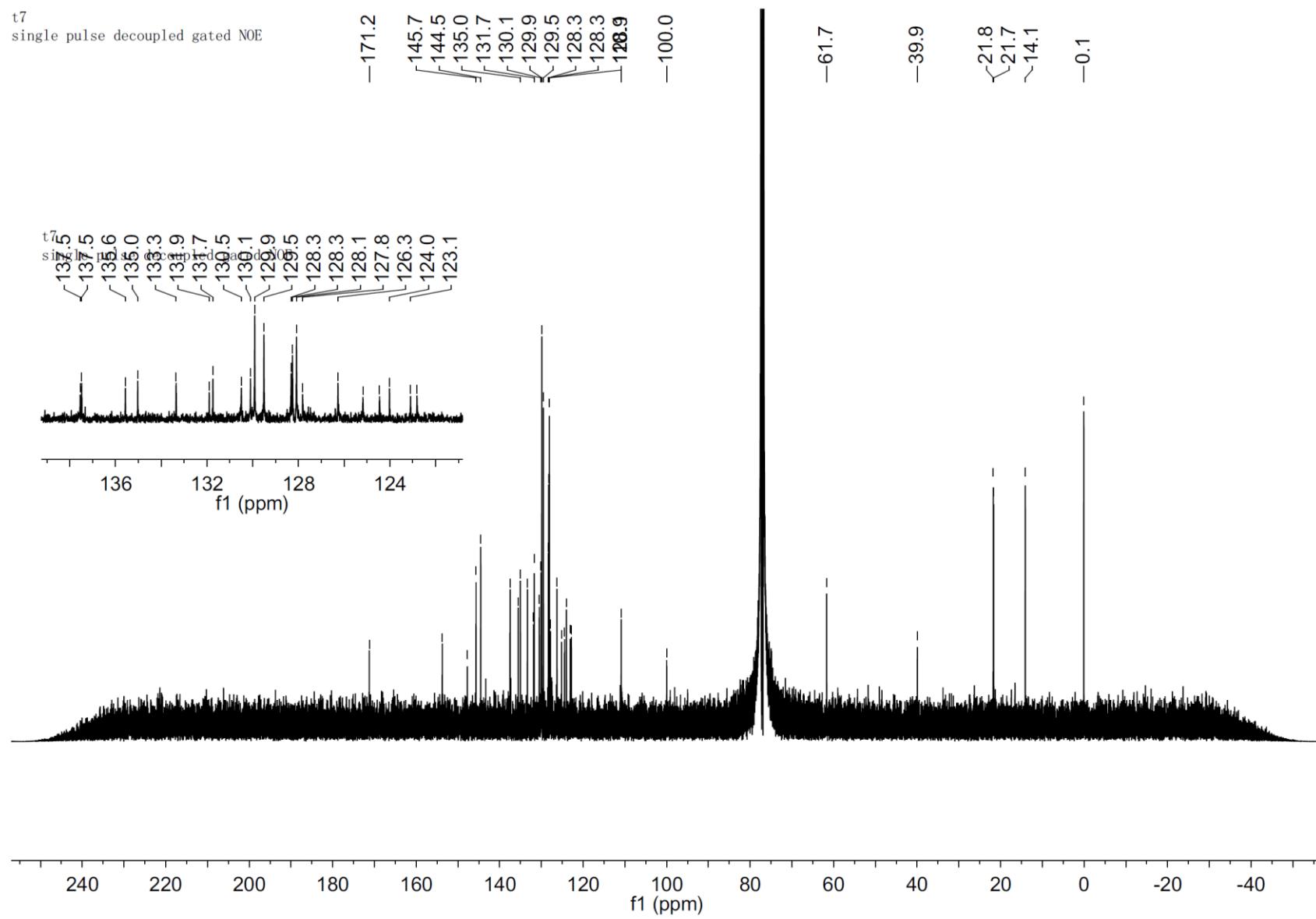
<sup>13</sup>C NMR Spectrum of Compound 3f

16052019-tu-tbz

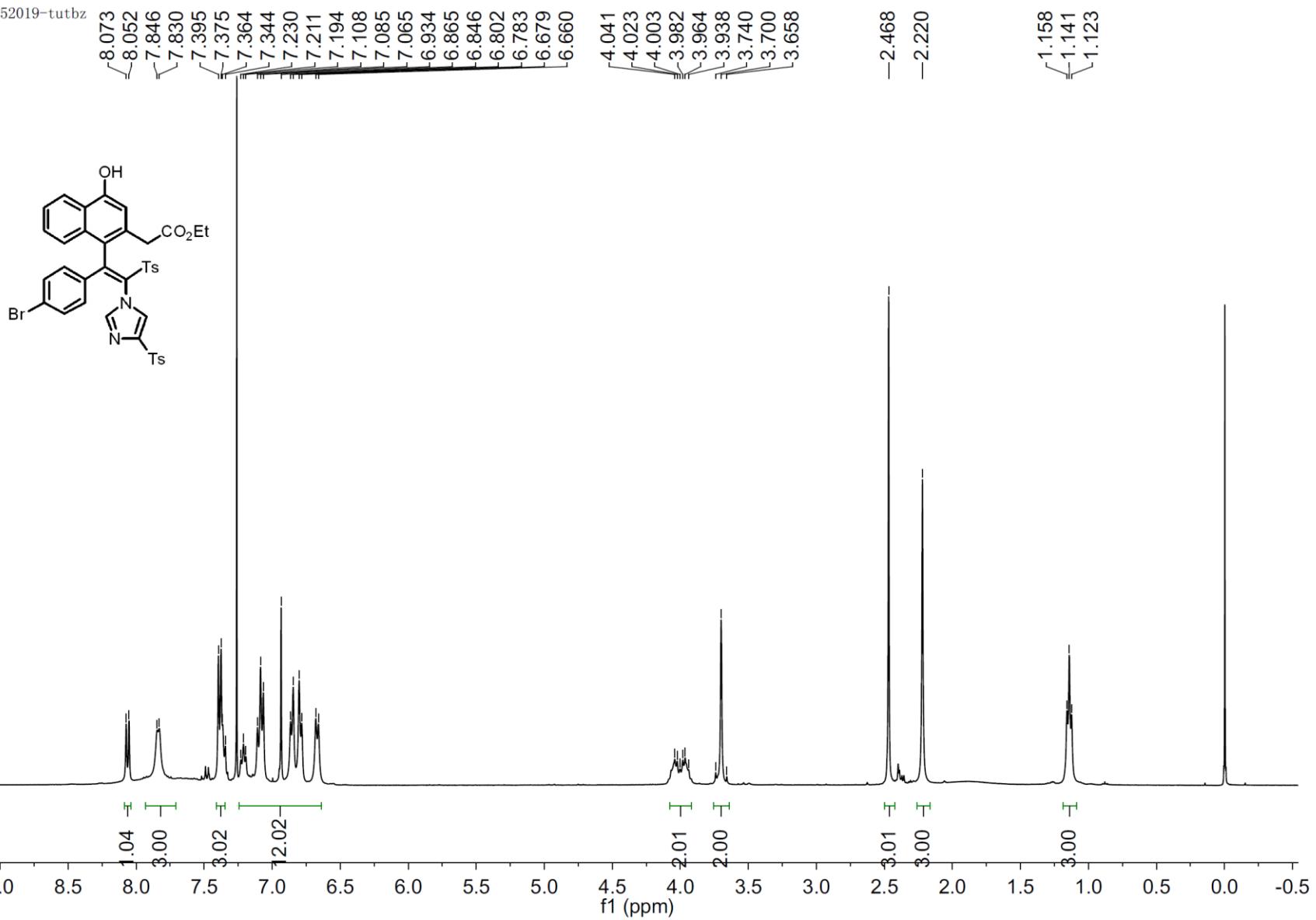


$^1\text{H}$  NMR Spectrum of Compound 3g

t<sub>7</sub>  
single pulse decoupled gated NOE

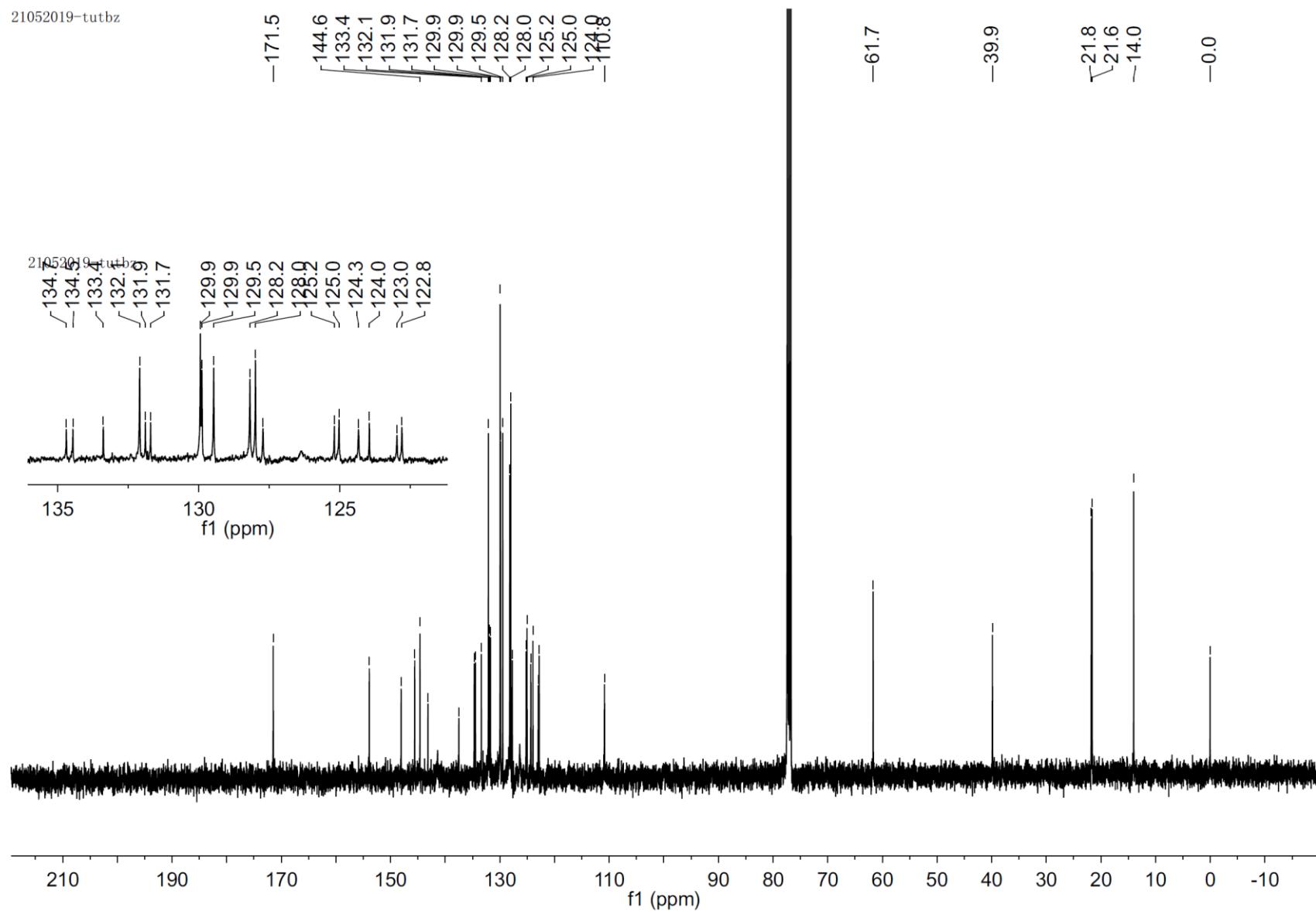


20052019-tutbz

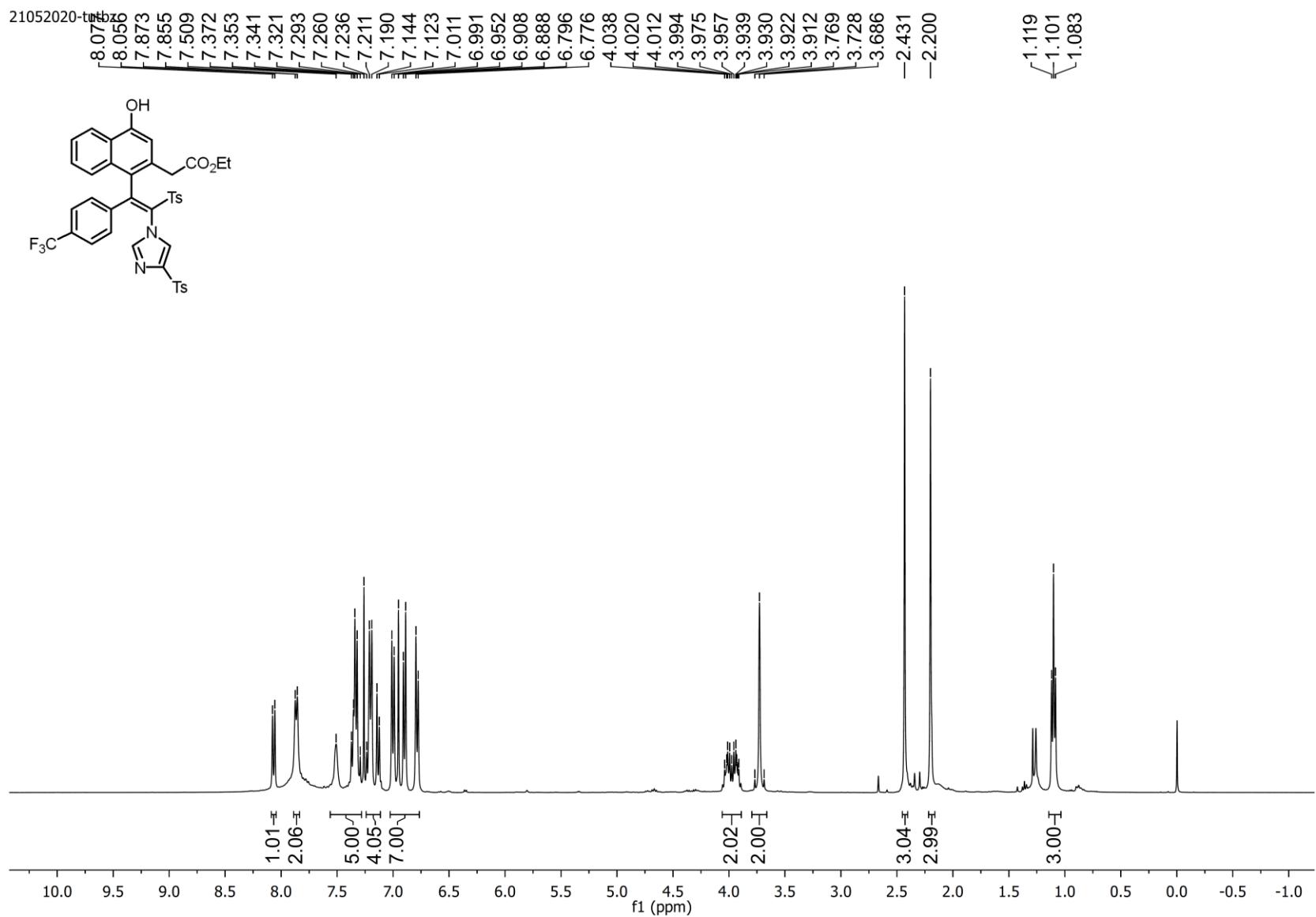


$^1\text{H}$  NMR Spectrum of Compound 3h

21052019-tutbz

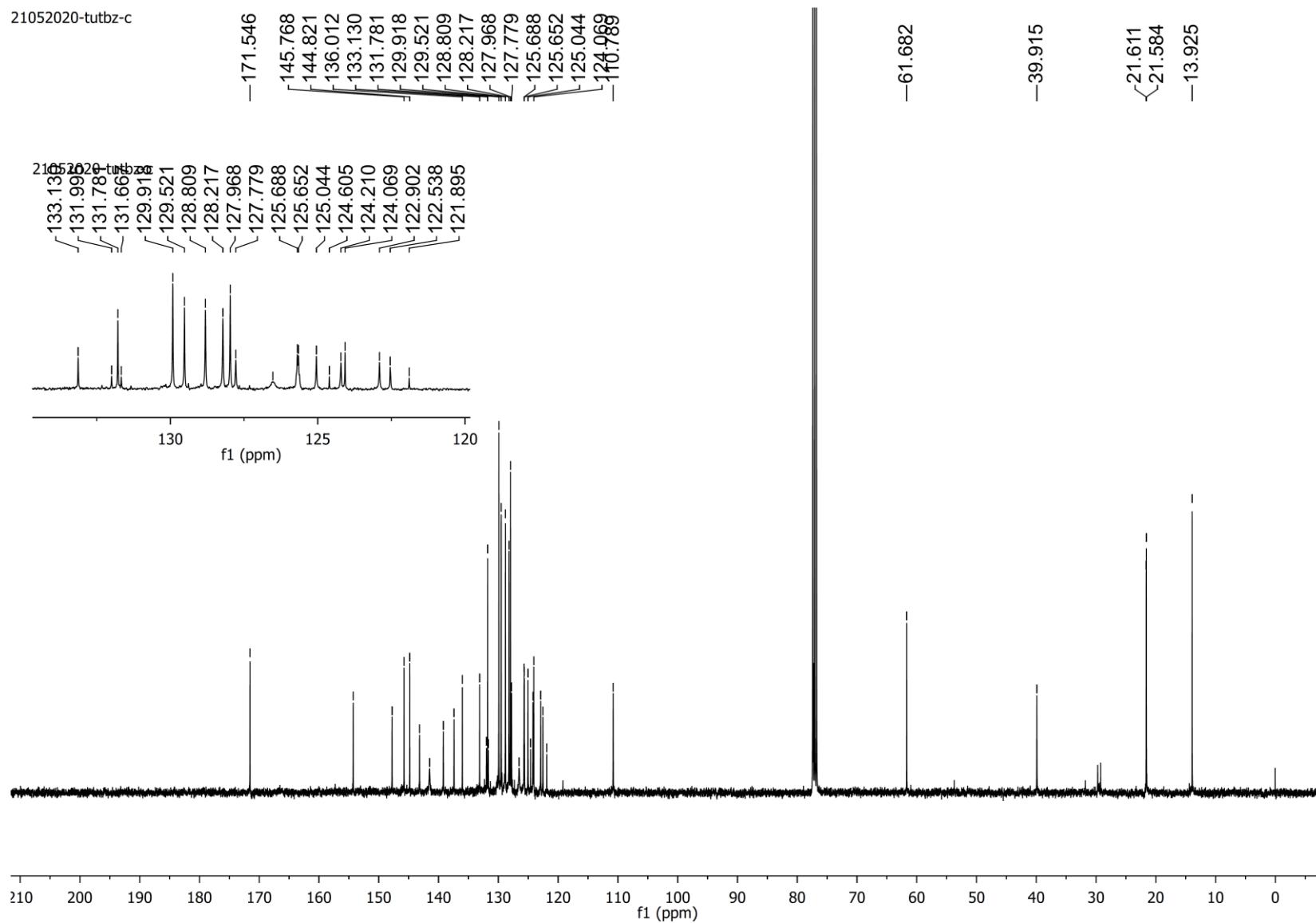


$^{13}\text{C}$  NMR Spectrum of Compound 3h



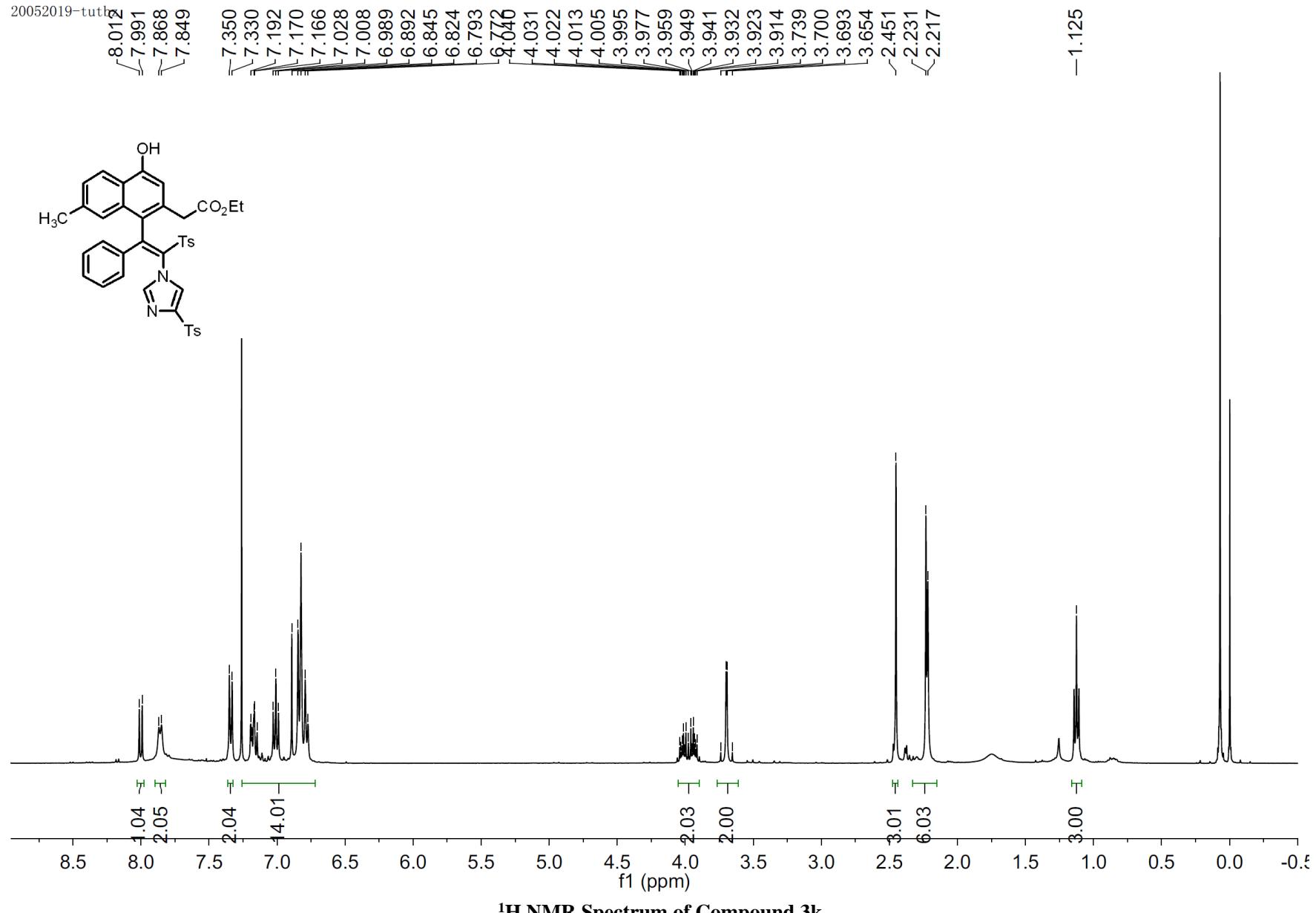
<sup>1</sup>H NMR Spectrum of Compound 3i

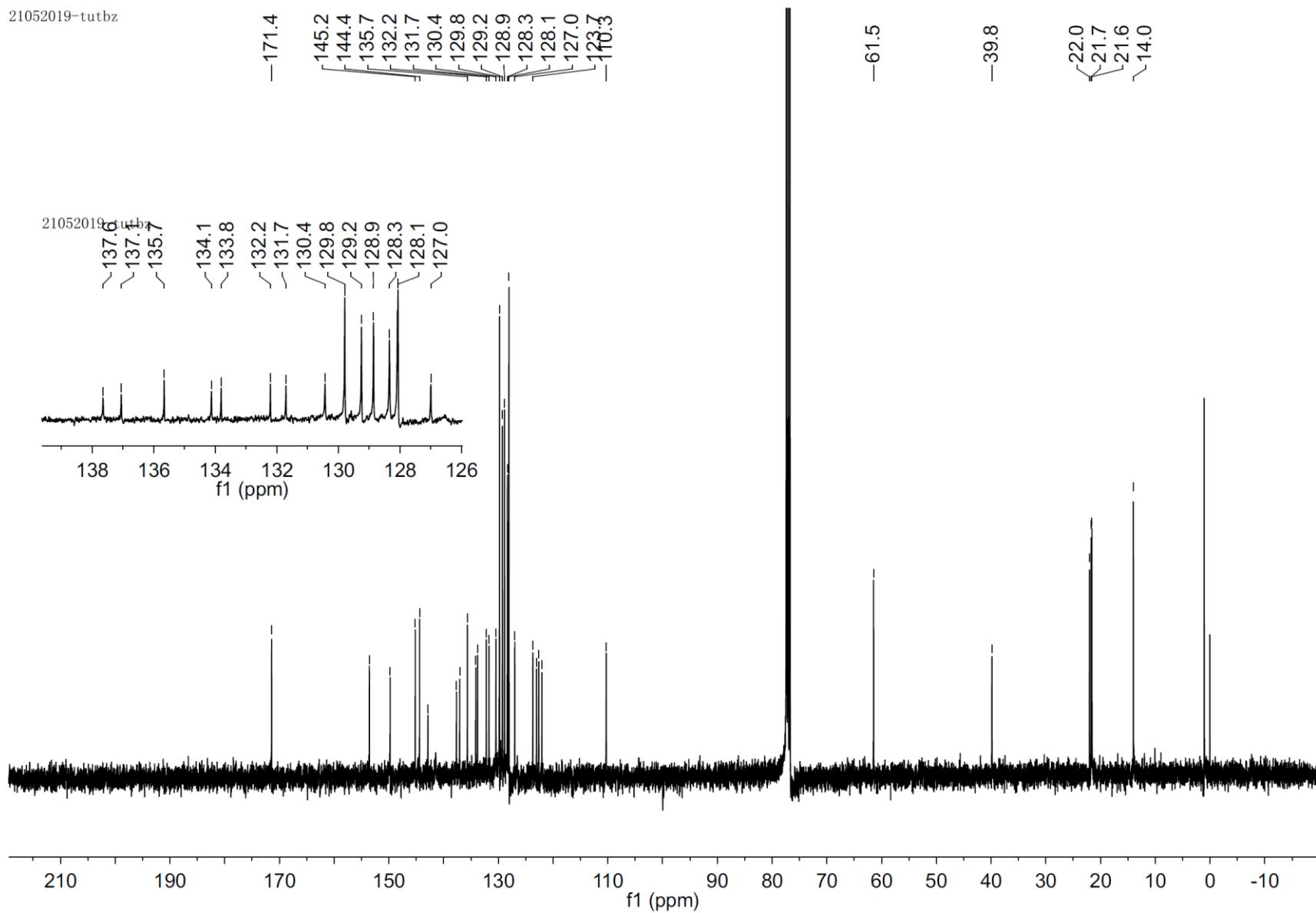
21052020-tutbz-c

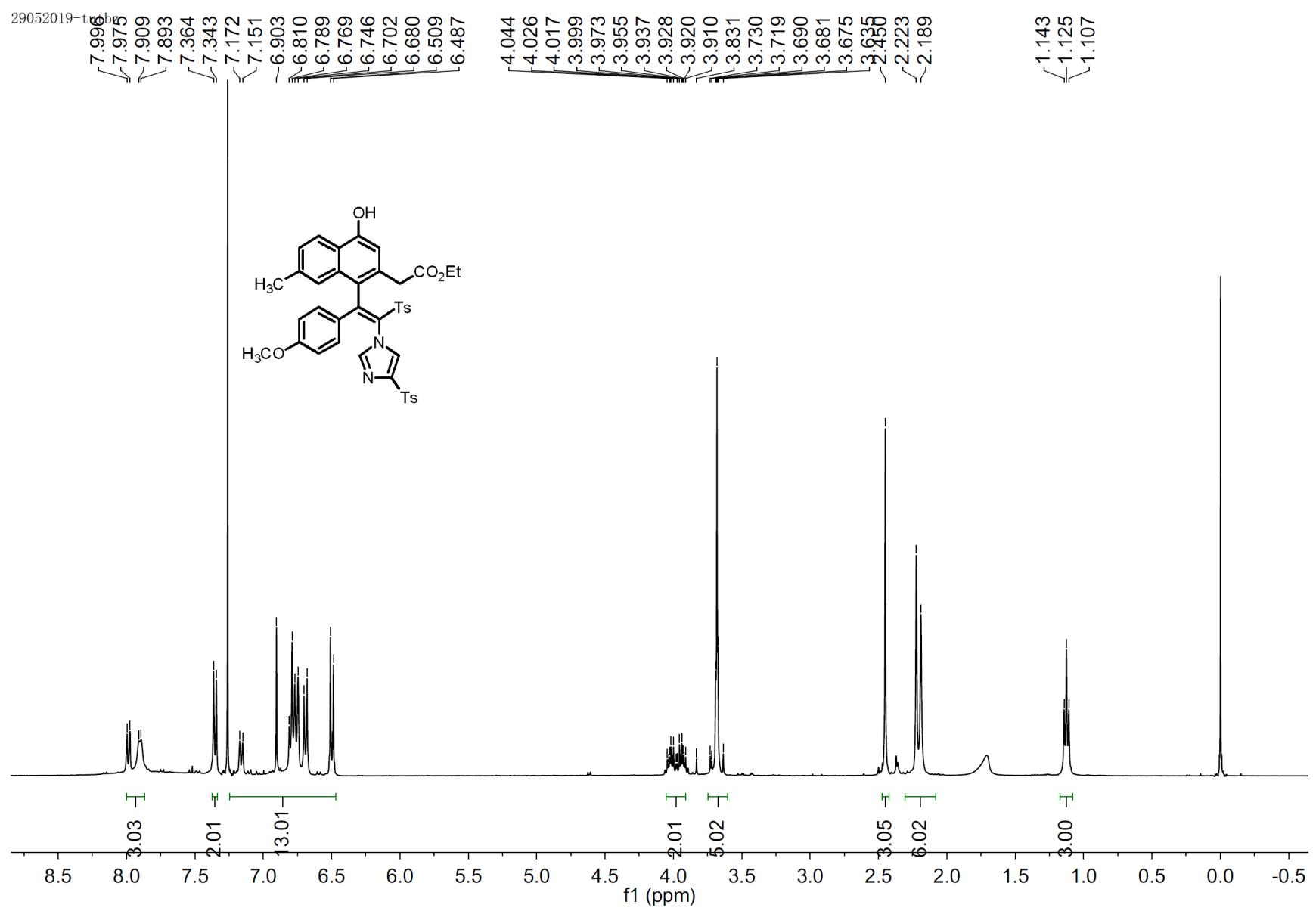


<sup>13</sup>C NMR Spectrum of Compound 3

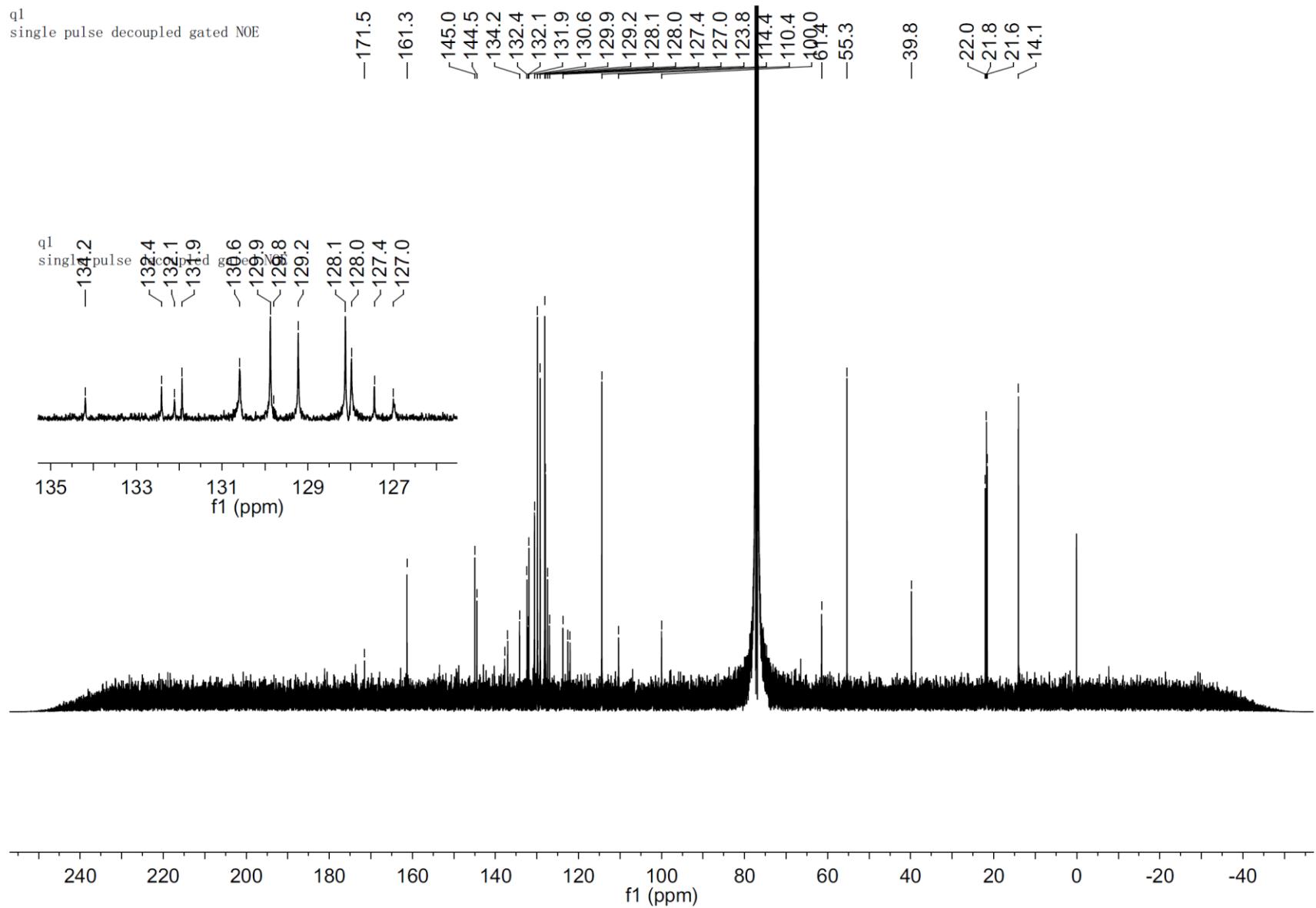
20052019-tuth

 $^1\text{H}$  NMR Spectrum of Compound 3k

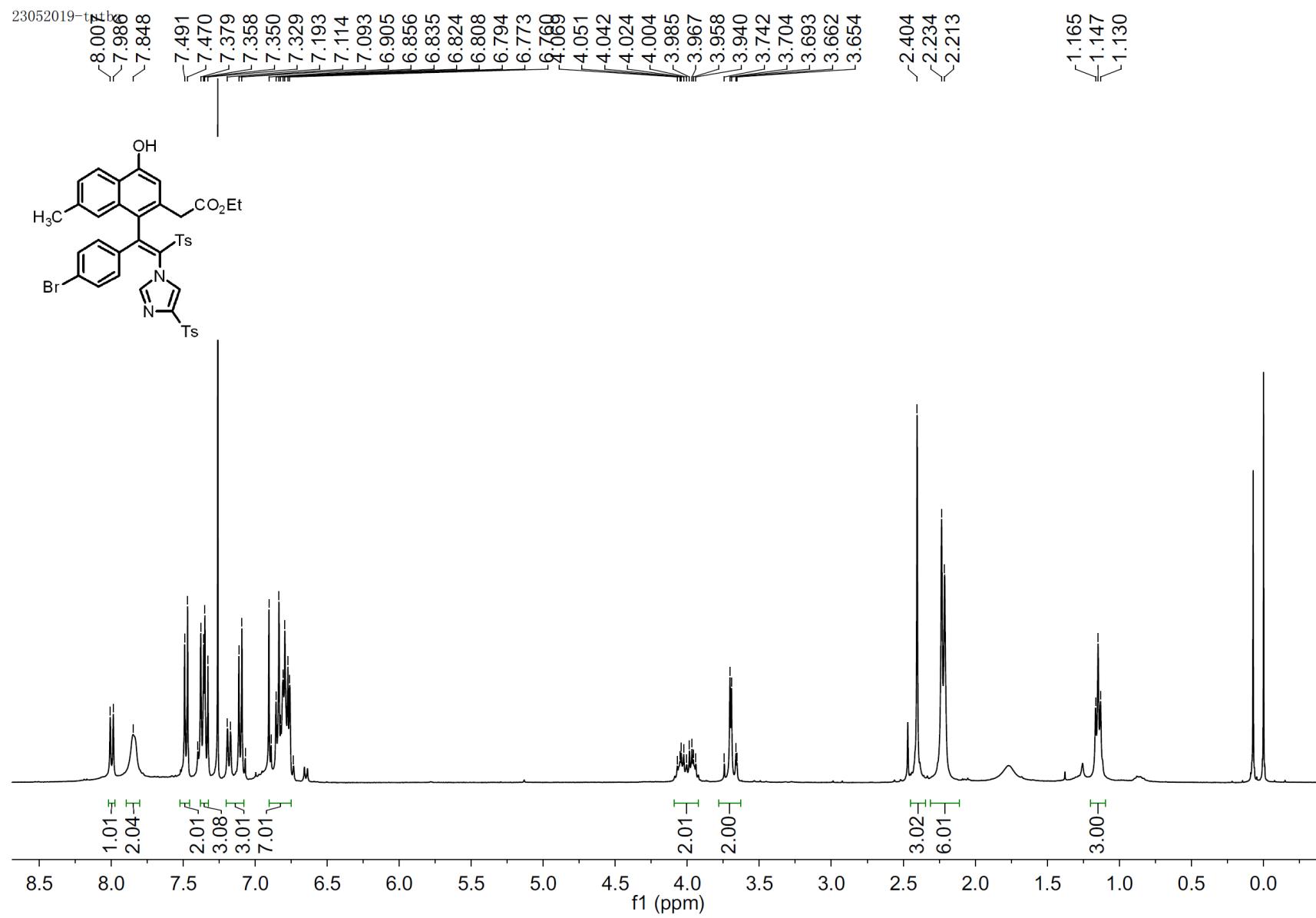




<sup>1</sup>H NMR Spectrum of Compound 3l

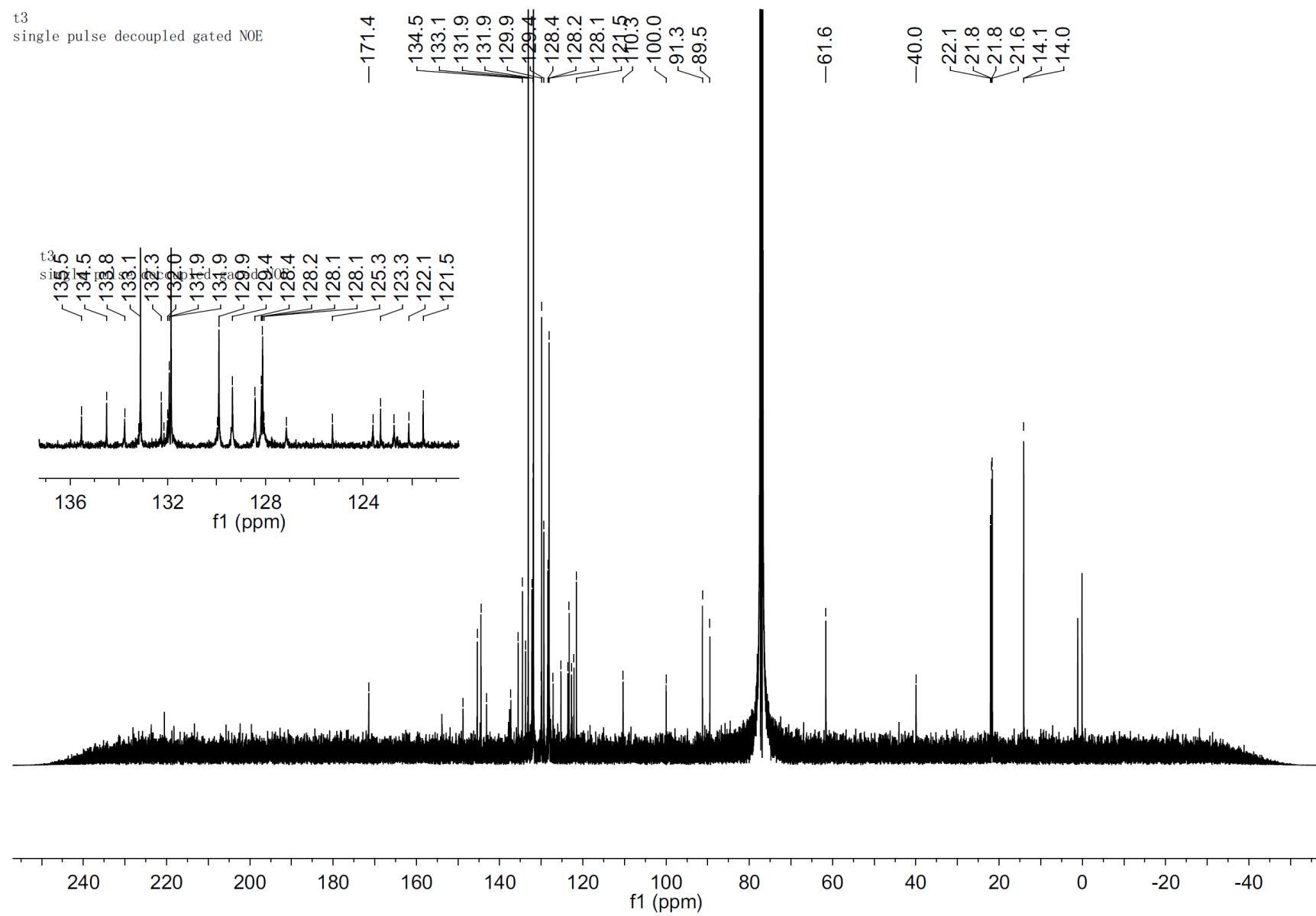


<sup>13</sup>C NMR Spectrum of Compound 31

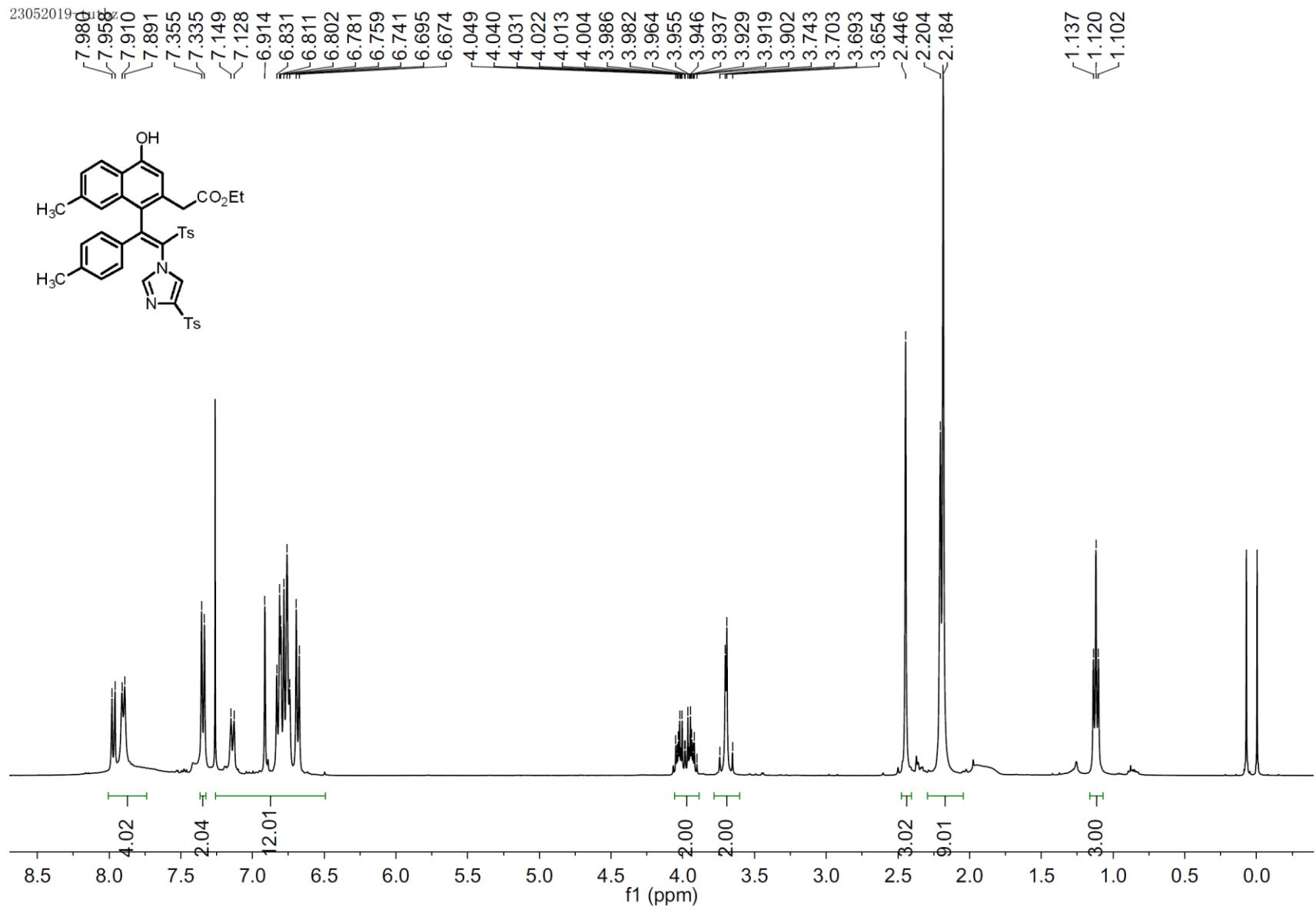


<sup>1</sup>H NMR Spectrum of Compound 3m

t3  
single pulse decoupled gated NOE

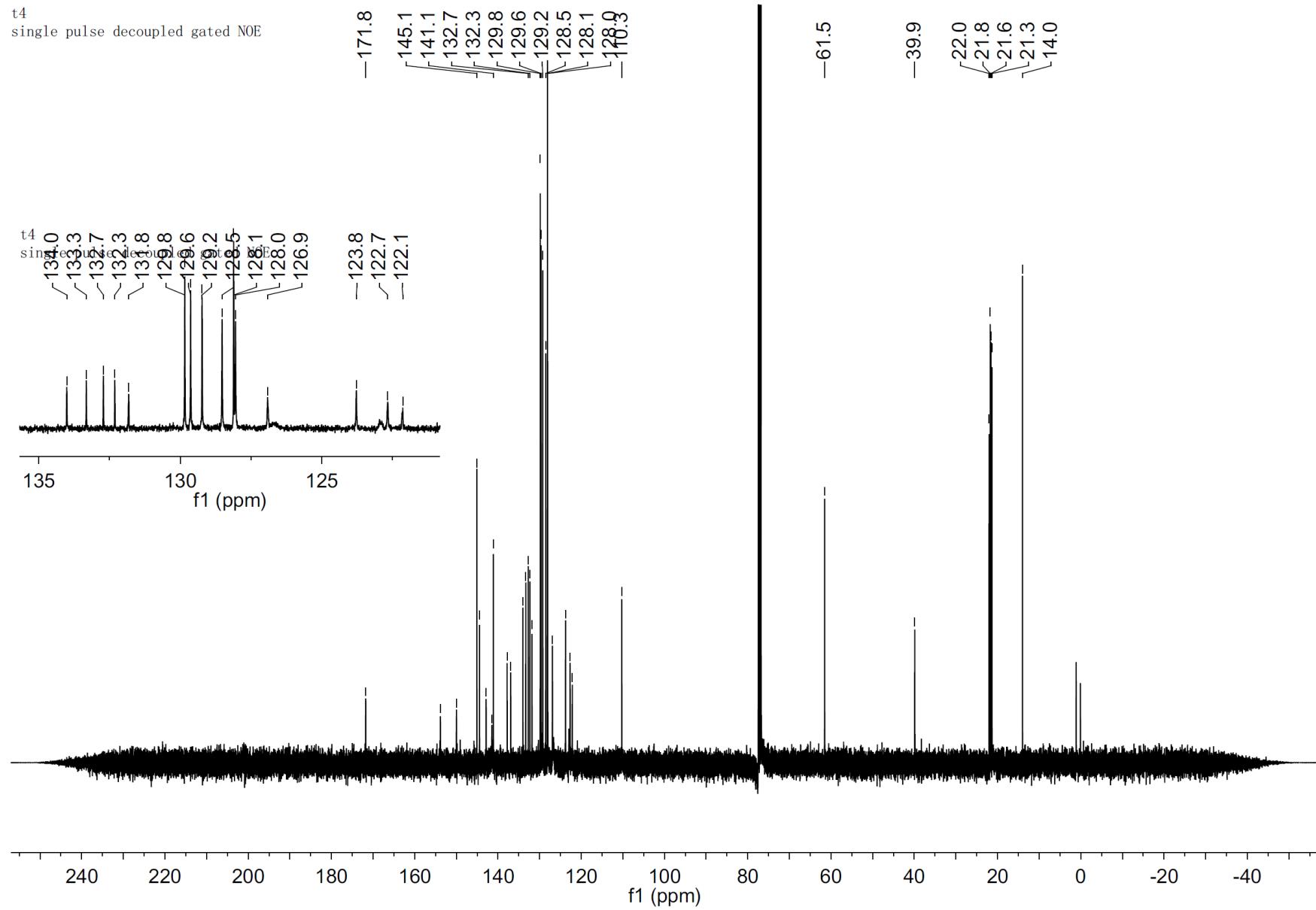


<sup>13</sup>C NMR Spectrum of Compound 3m



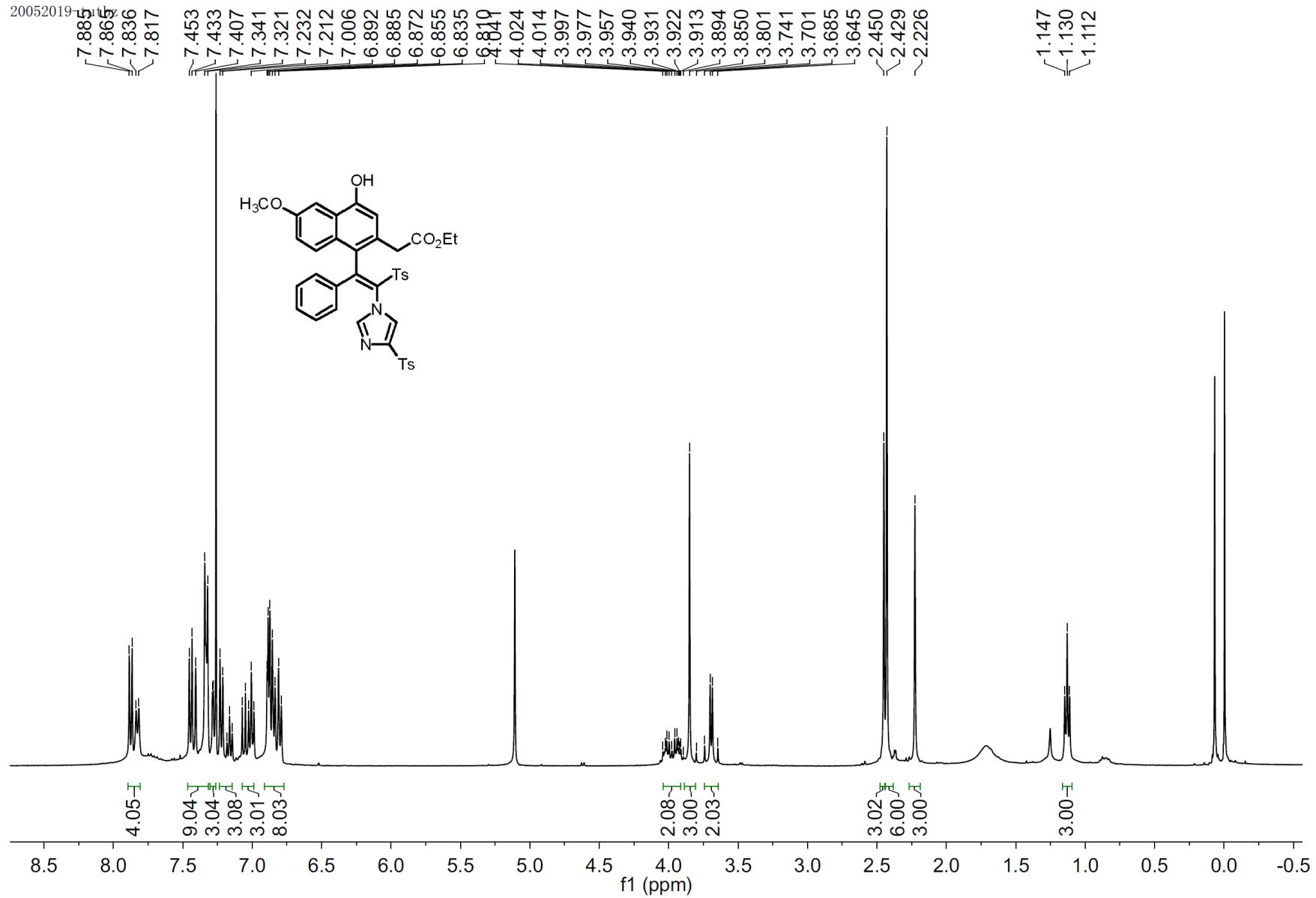
<sup>1</sup>H NMR Spectrum of Compound 3n

t<sub>4</sub>  
single pulse decoupled gated NOE

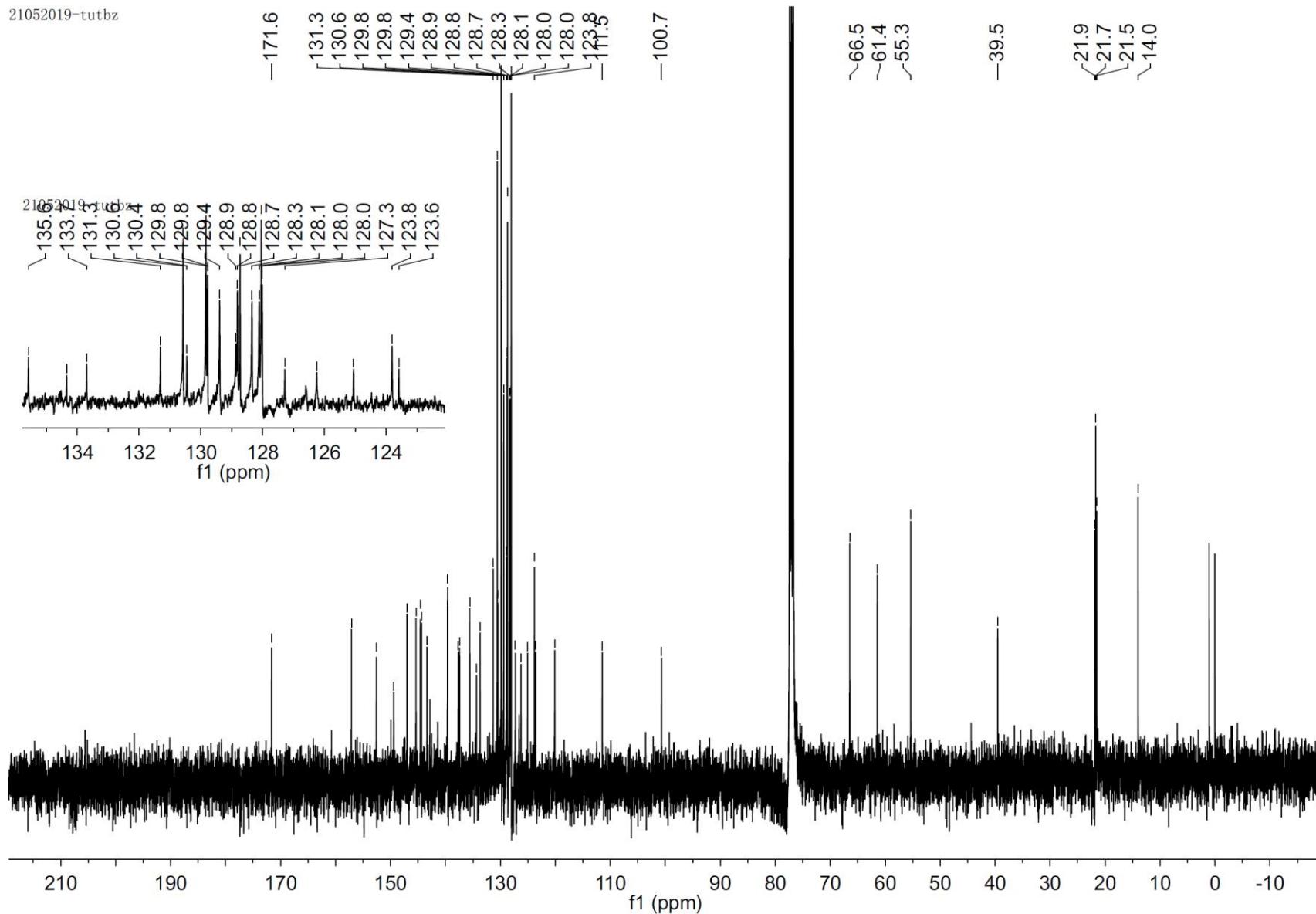


<sup>13</sup>C NMR Spectrum of Compound 3n

20052019

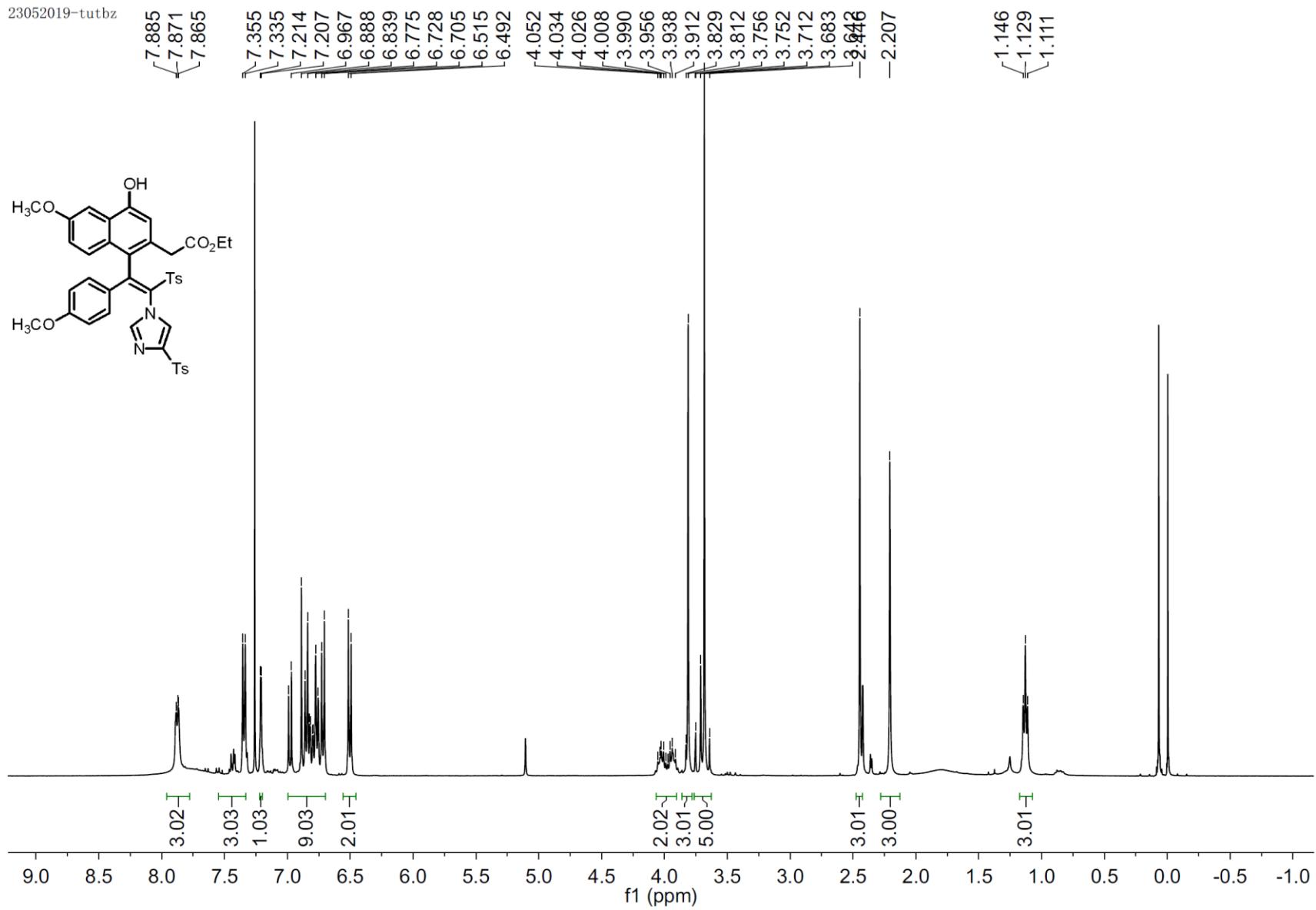
 $^1\text{H}$  NMR Spectrum of Compound 3o

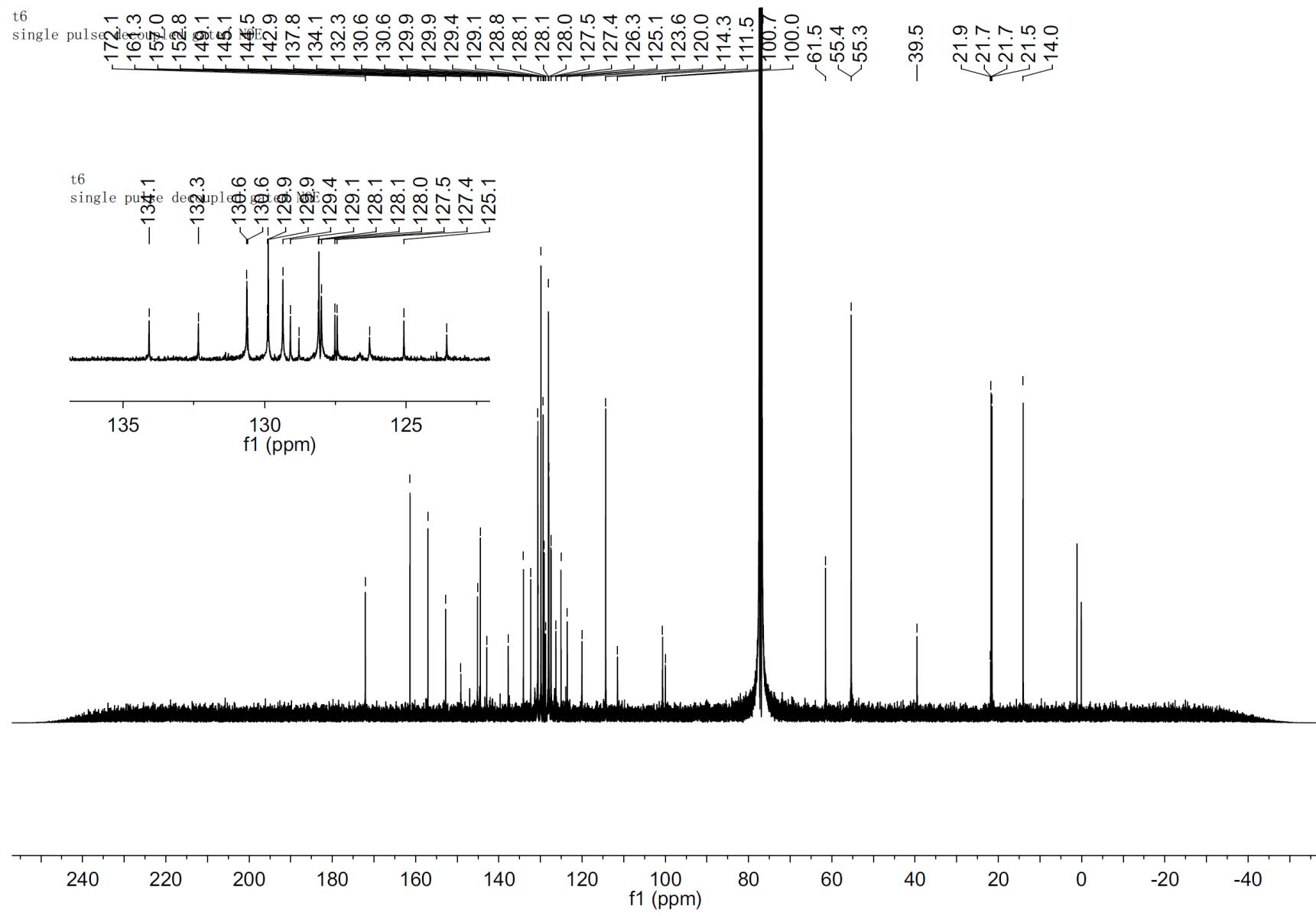
21052019-tutbz



<sup>13</sup>C NMR Spectrum of Compound 3o

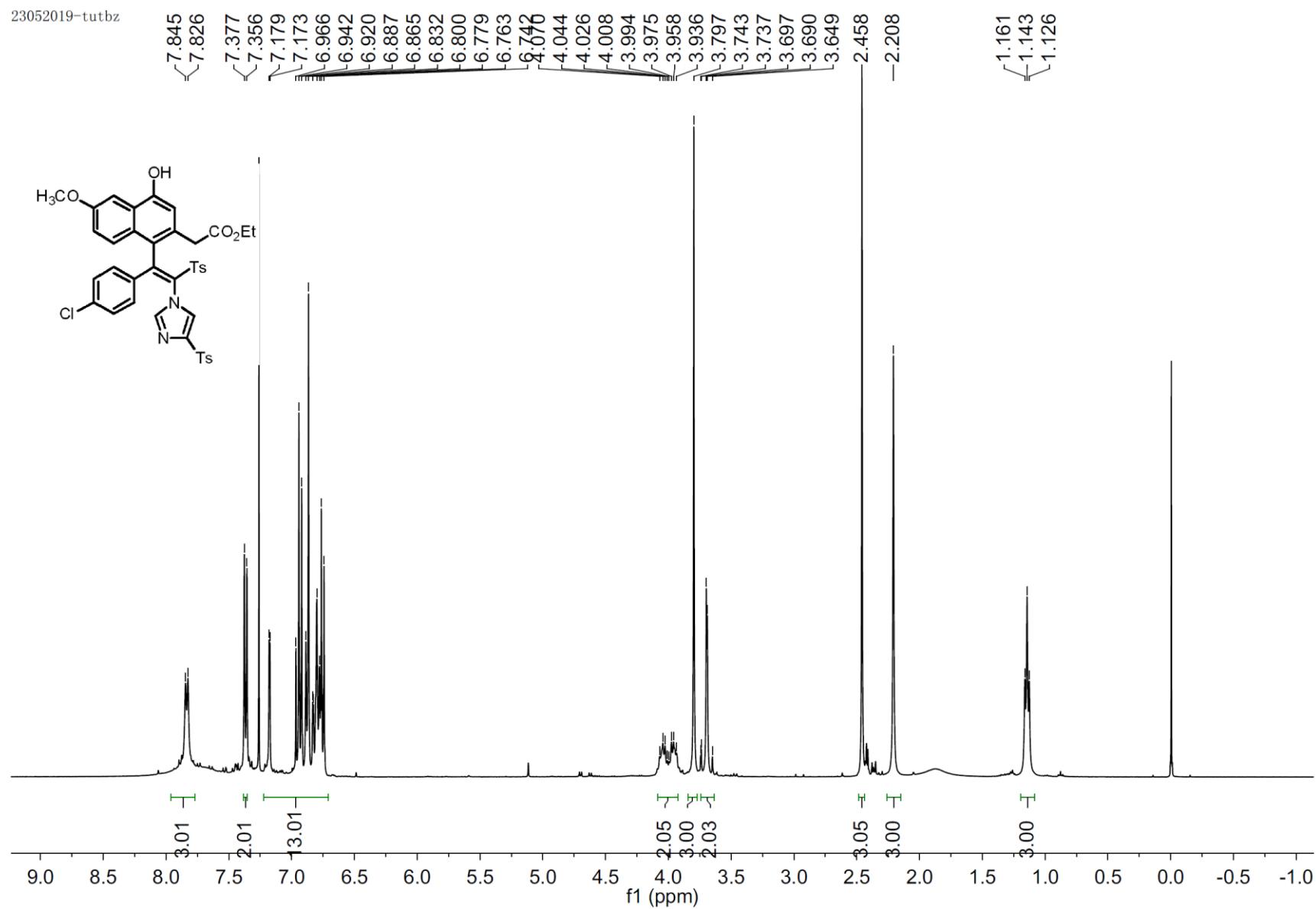
23052019-tubz

 $^1\text{H}$  NMR Spectrum of Compound 3p



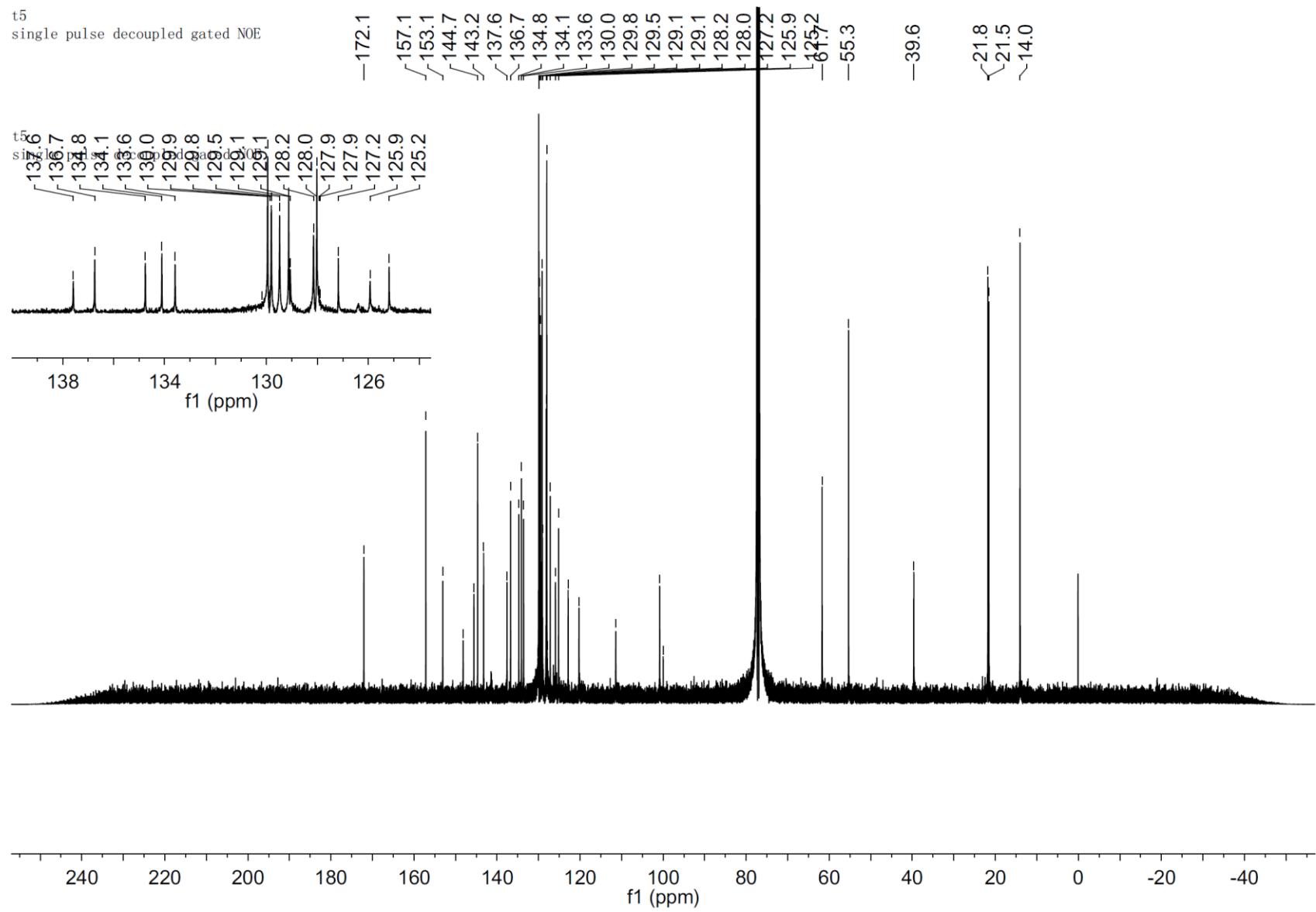
<sup>13</sup>C NMR Spectrum of Compound 3p

23052019-tutbz

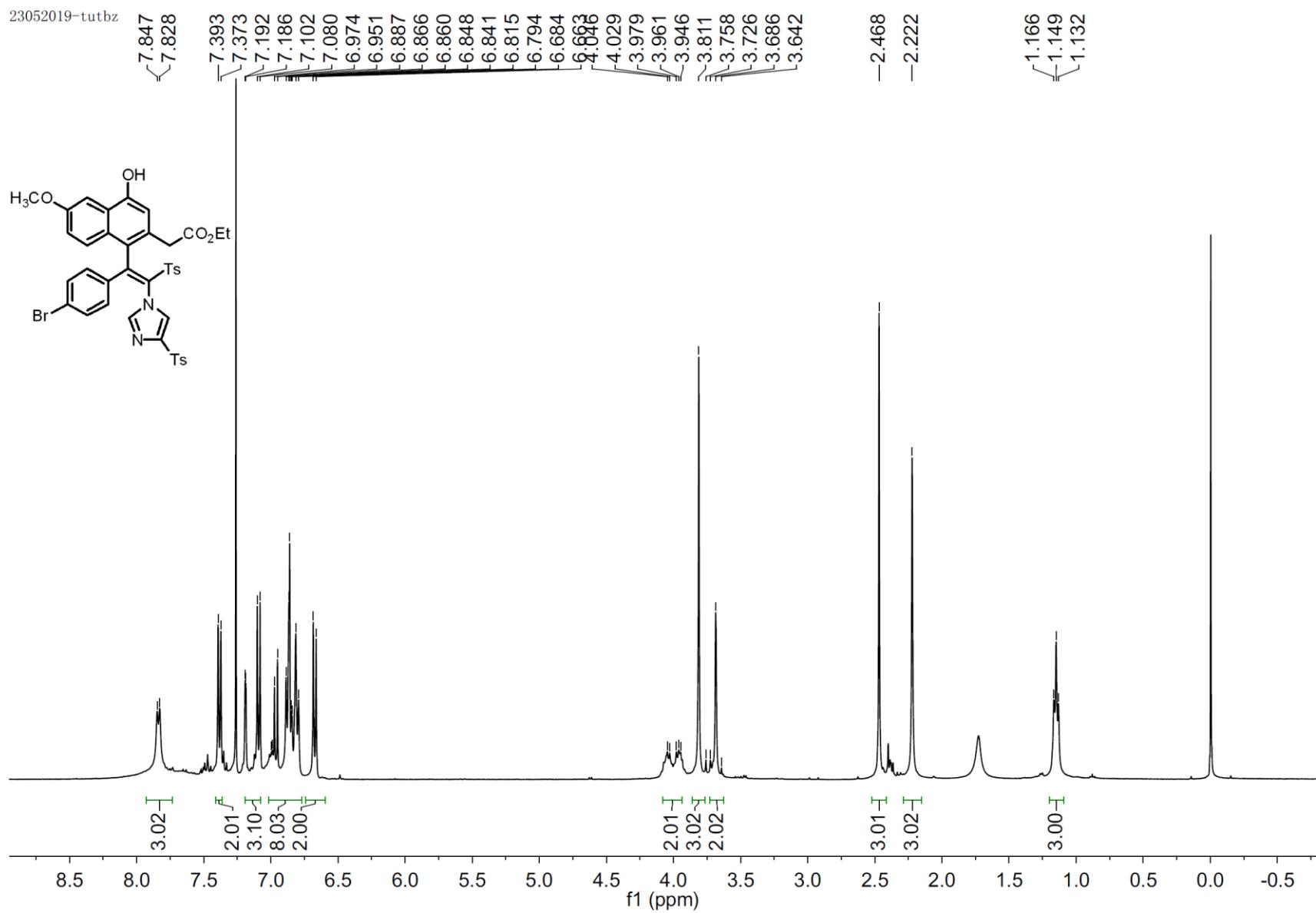


<sup>1</sup>H NMR Spectrum of Compound 3q

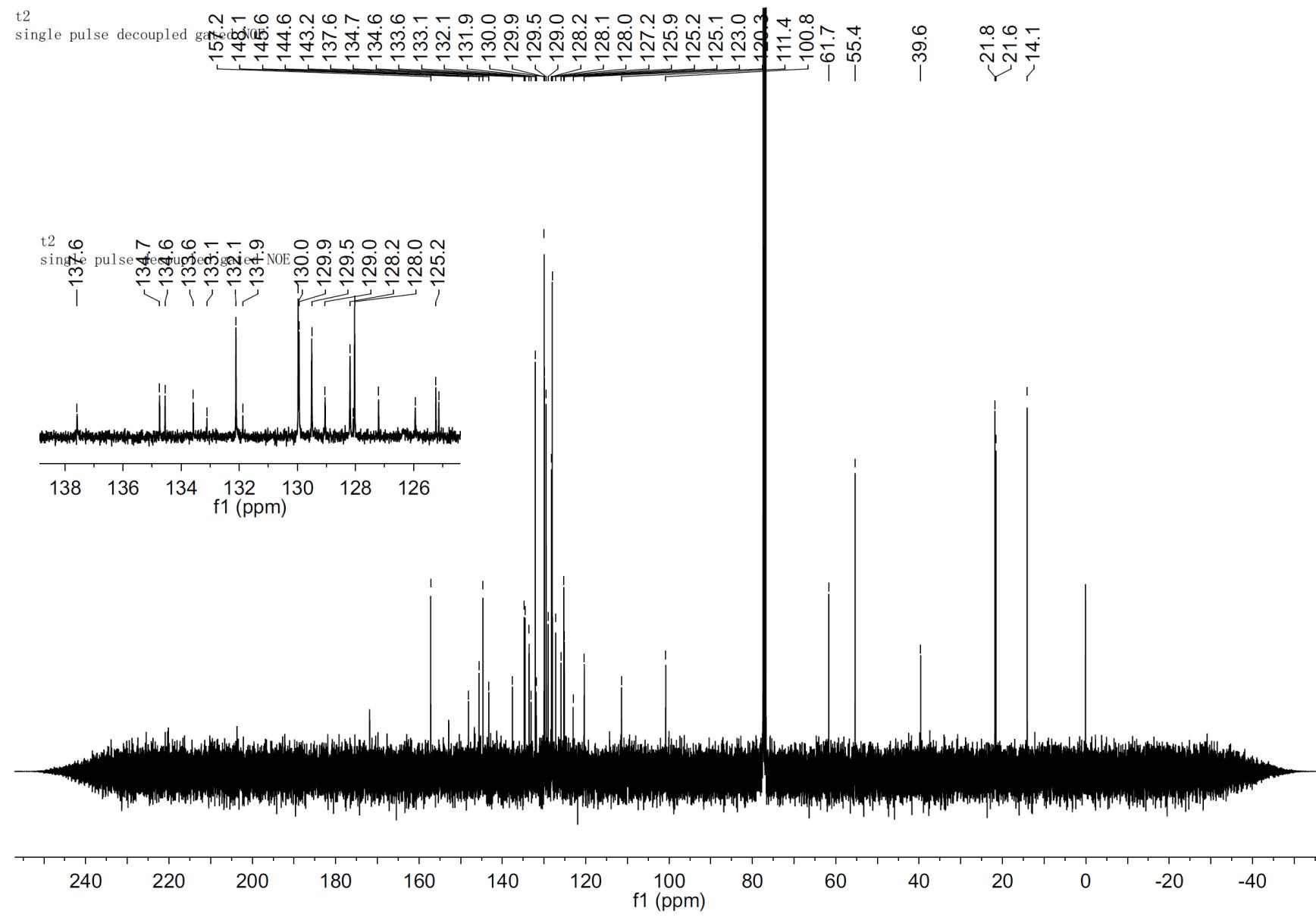
t<sub>5</sub>  
single pulse decoupled gated NOE



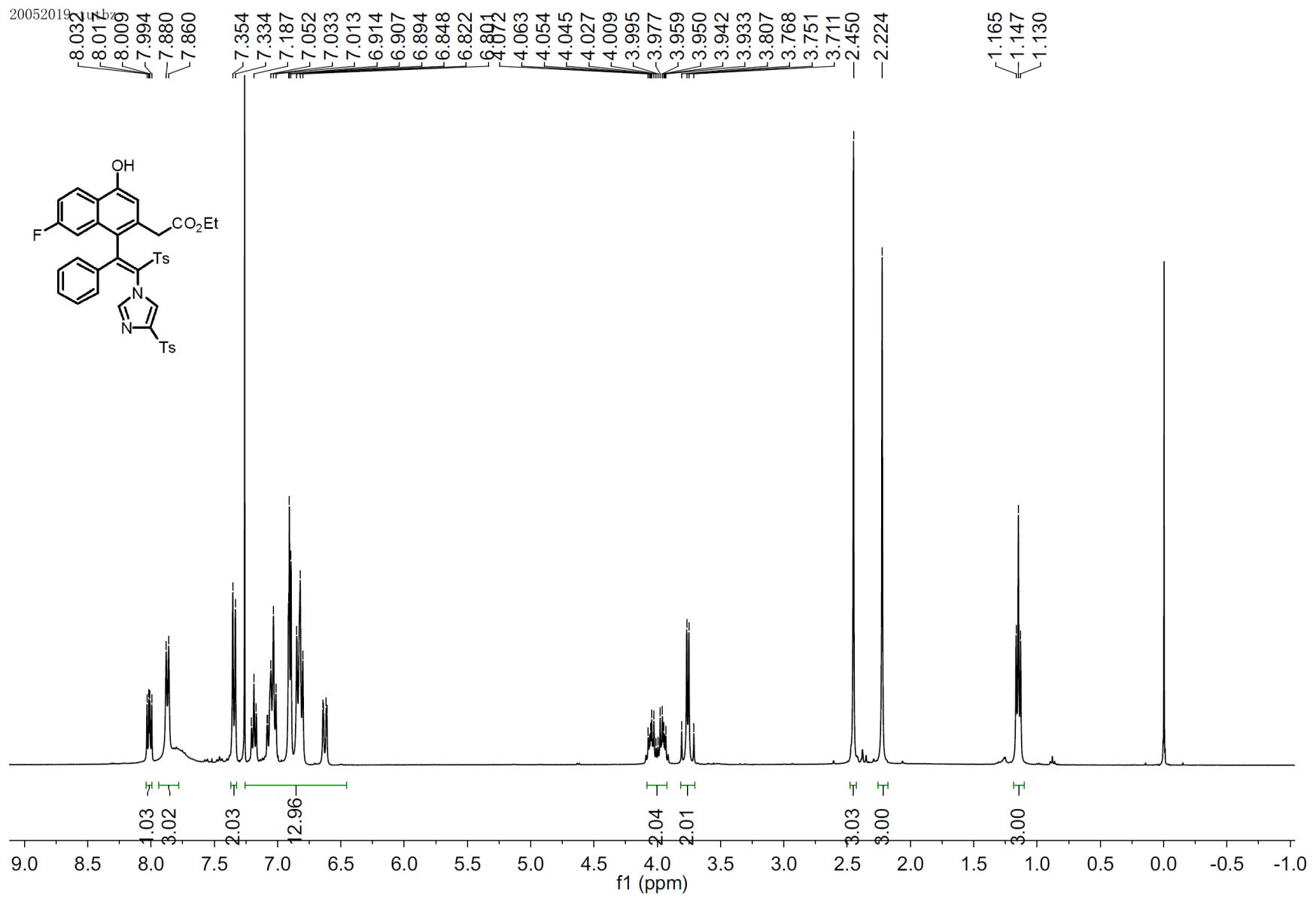
<sup>13</sup>C NMR Spectrum of Compound 3q



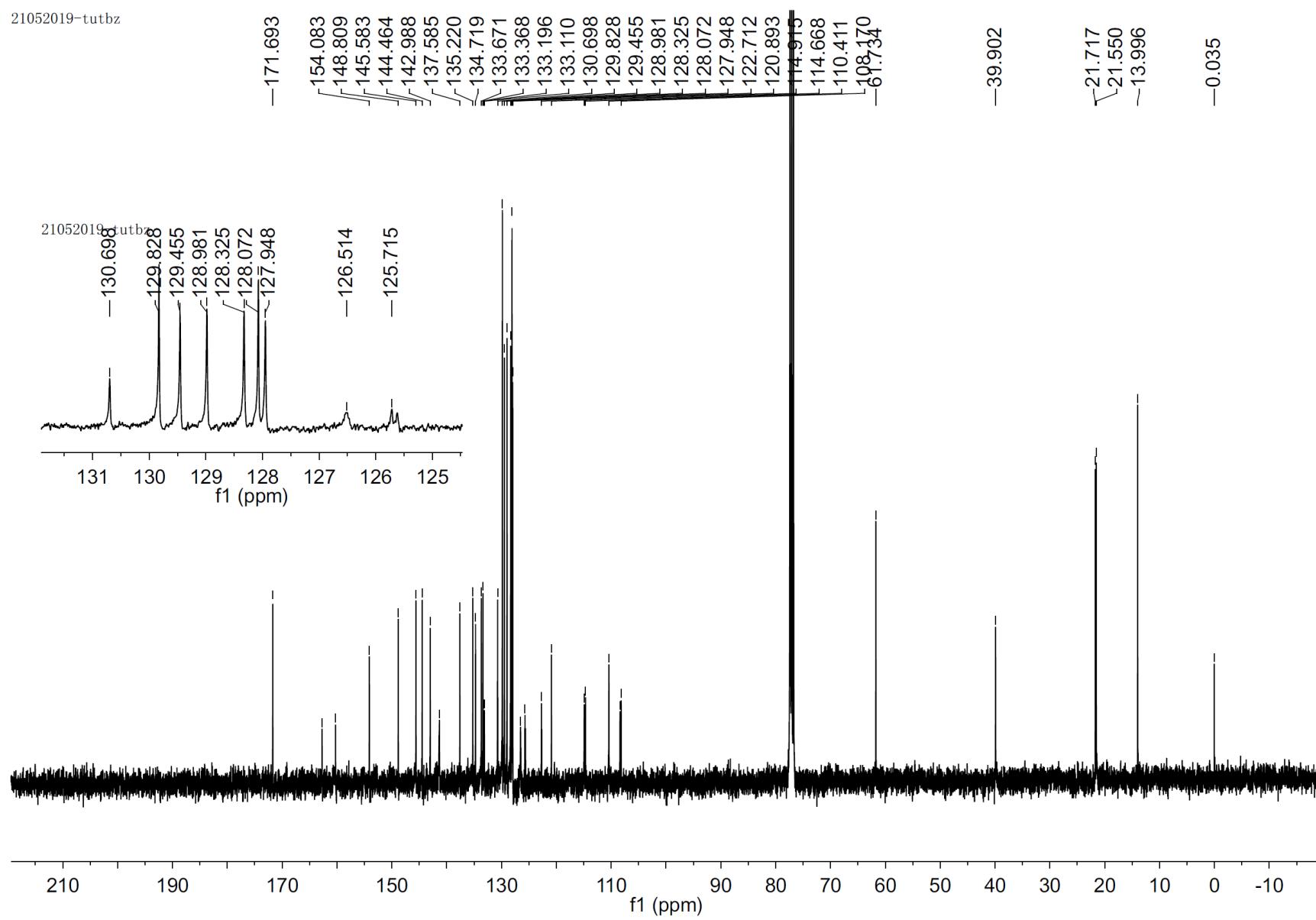
$^1\text{H}$  NMR Spectrum of Compound 3r



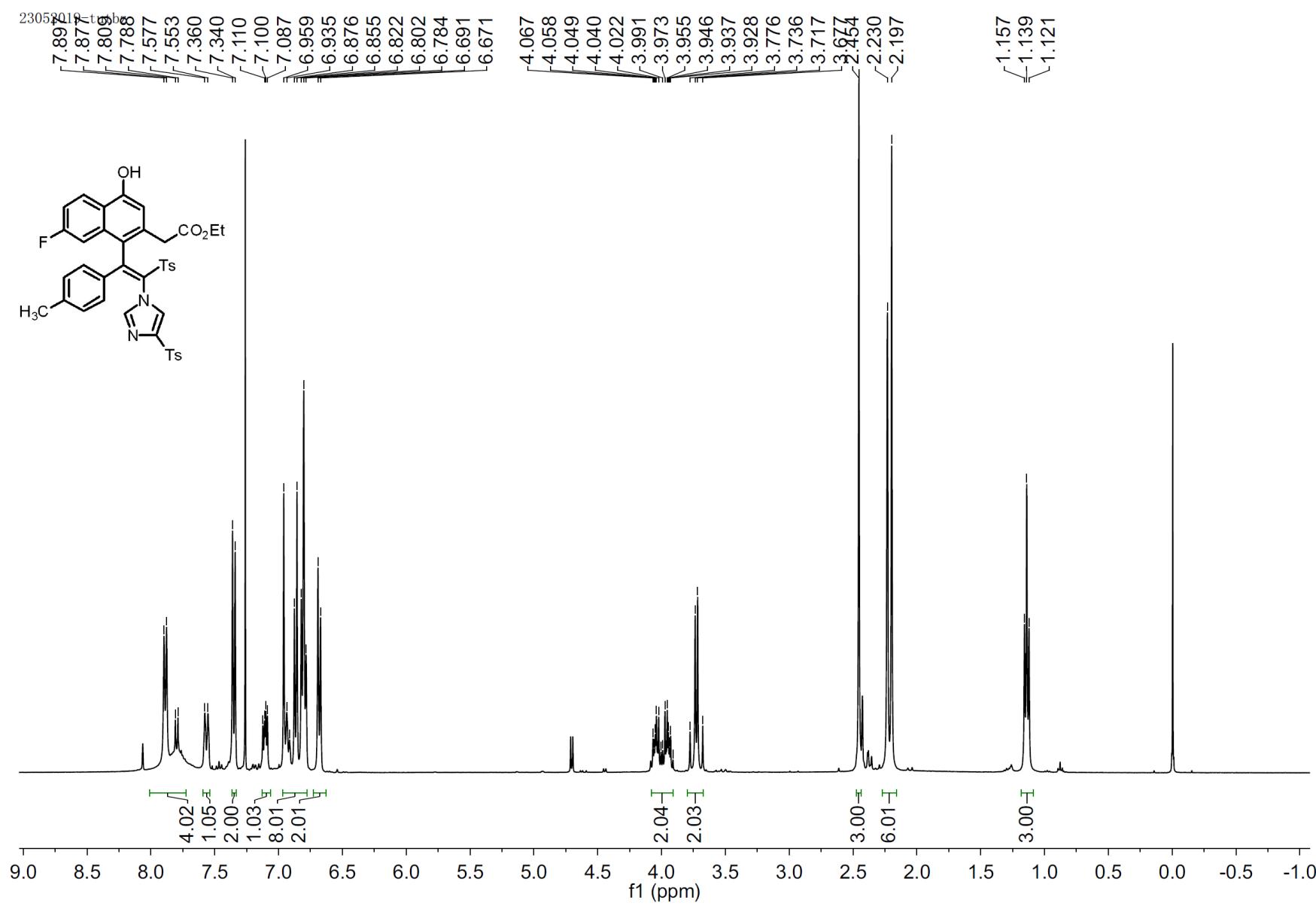
<sup>13</sup>C NMR Spectrum of Compound 3r

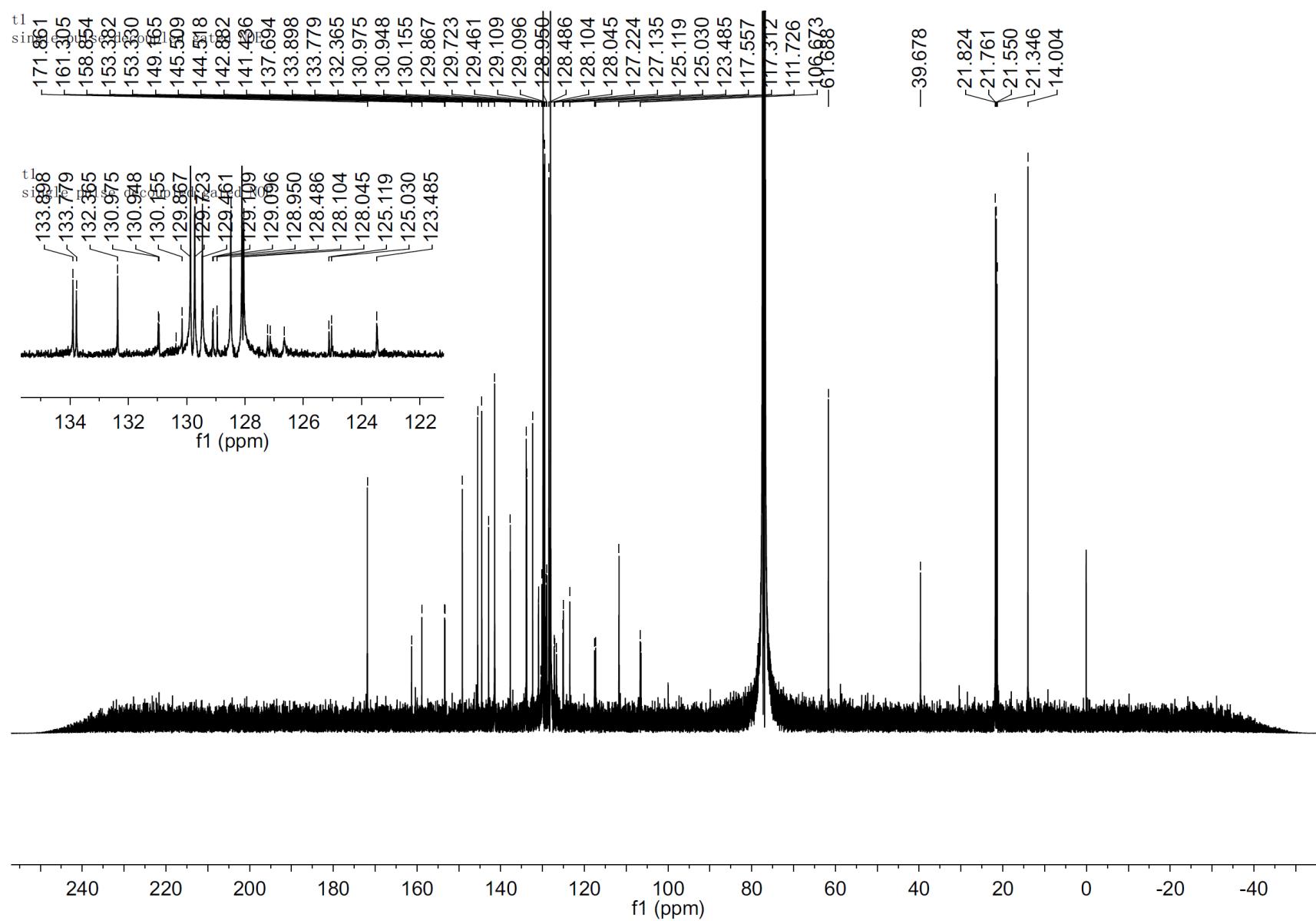


<sup>1</sup>H NMR Spectrum of Compound 3s

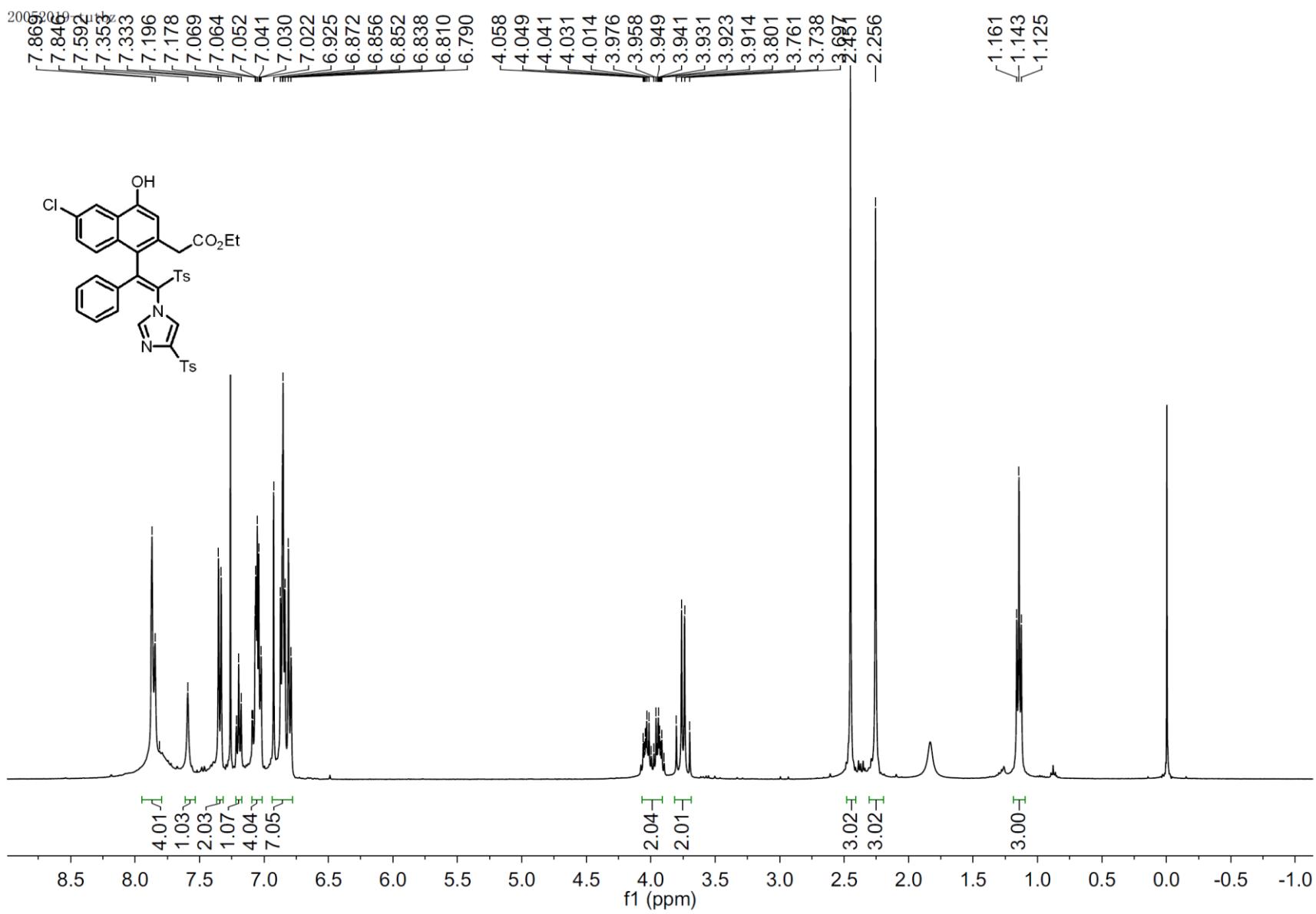


<sup>13</sup>C NMR Spectrum of Compound 3s

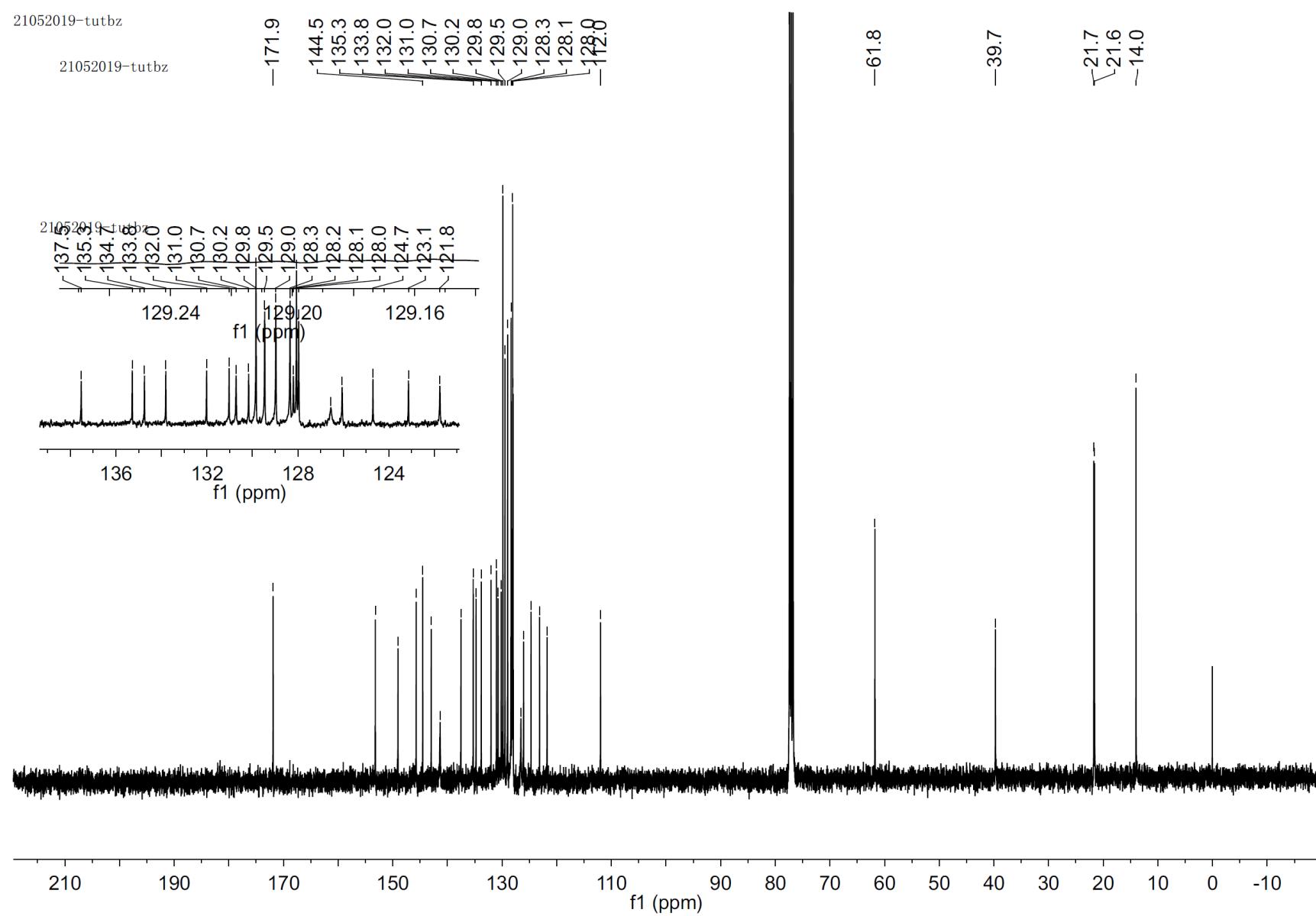




$^{13}\text{C}$  NMR Spectrum of Compound 3t

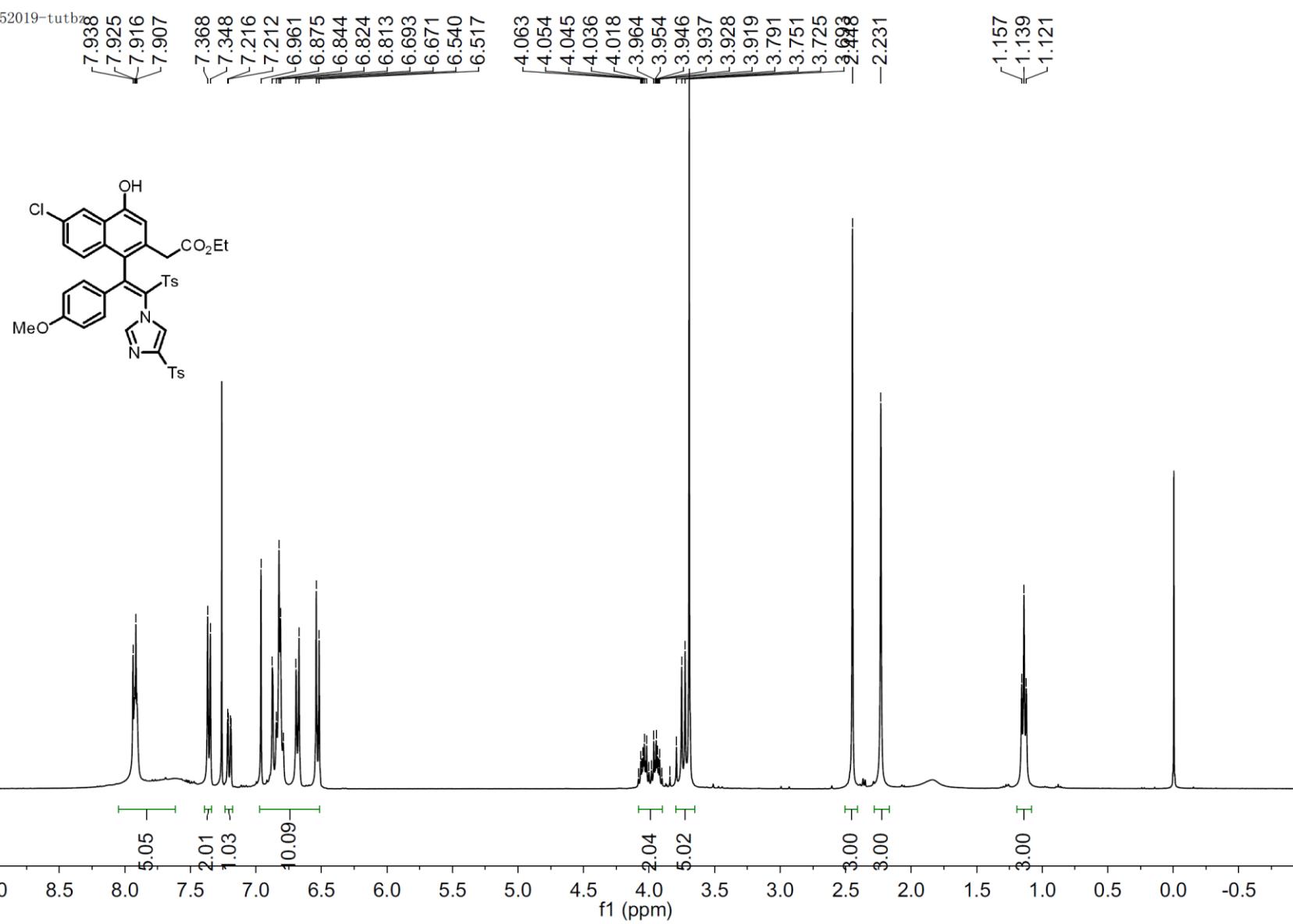


<sup>1</sup>H NMR Spectrum of Compound 3u

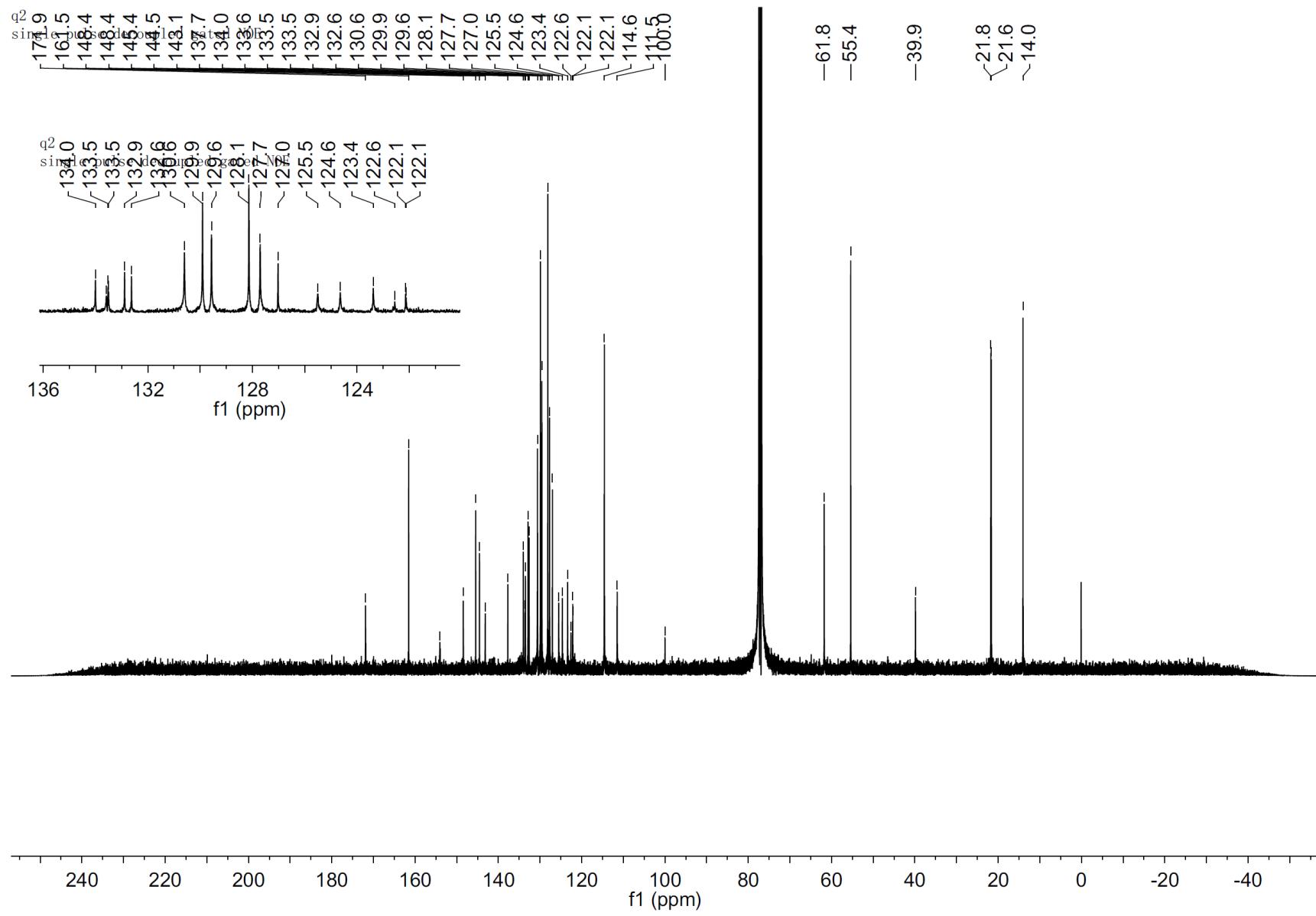


**<sup>13</sup>C NMR Spectrum of Compound 3u**

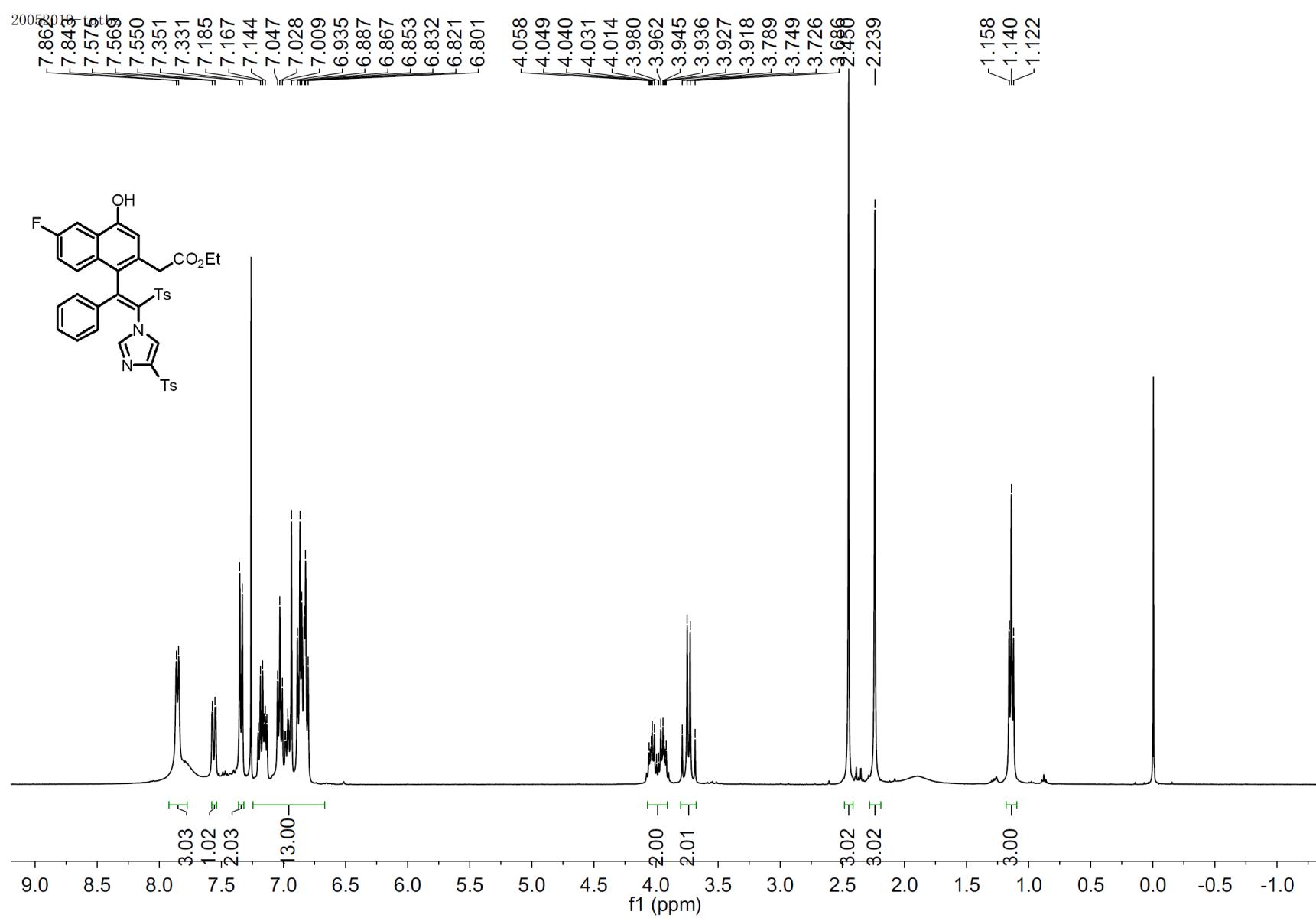
29052019-tutbz



$^1\text{H}$  NMR Spectrum of Compound 3v

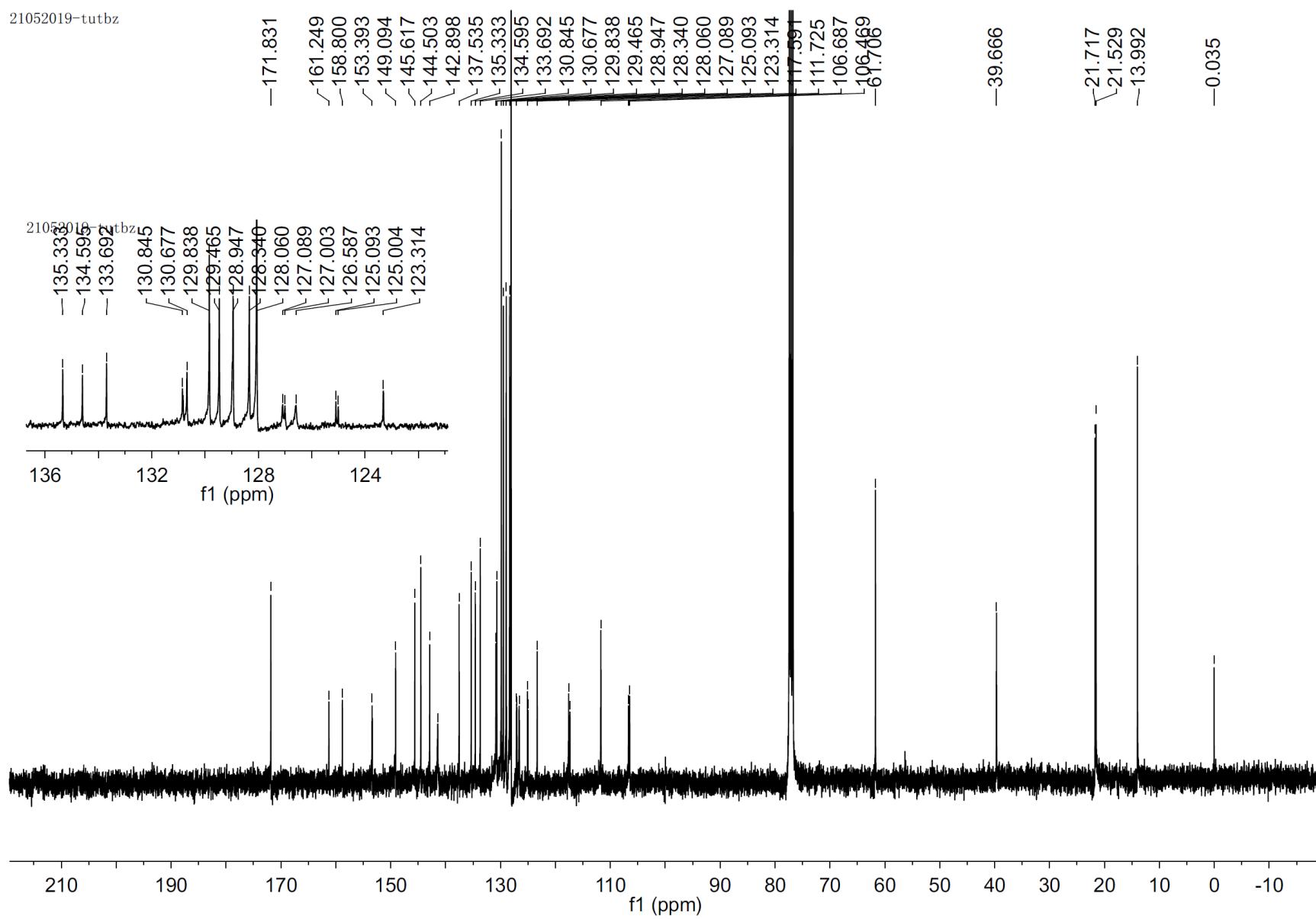


$^{13}\text{C}$  NMR Spectrum of Compound 3v

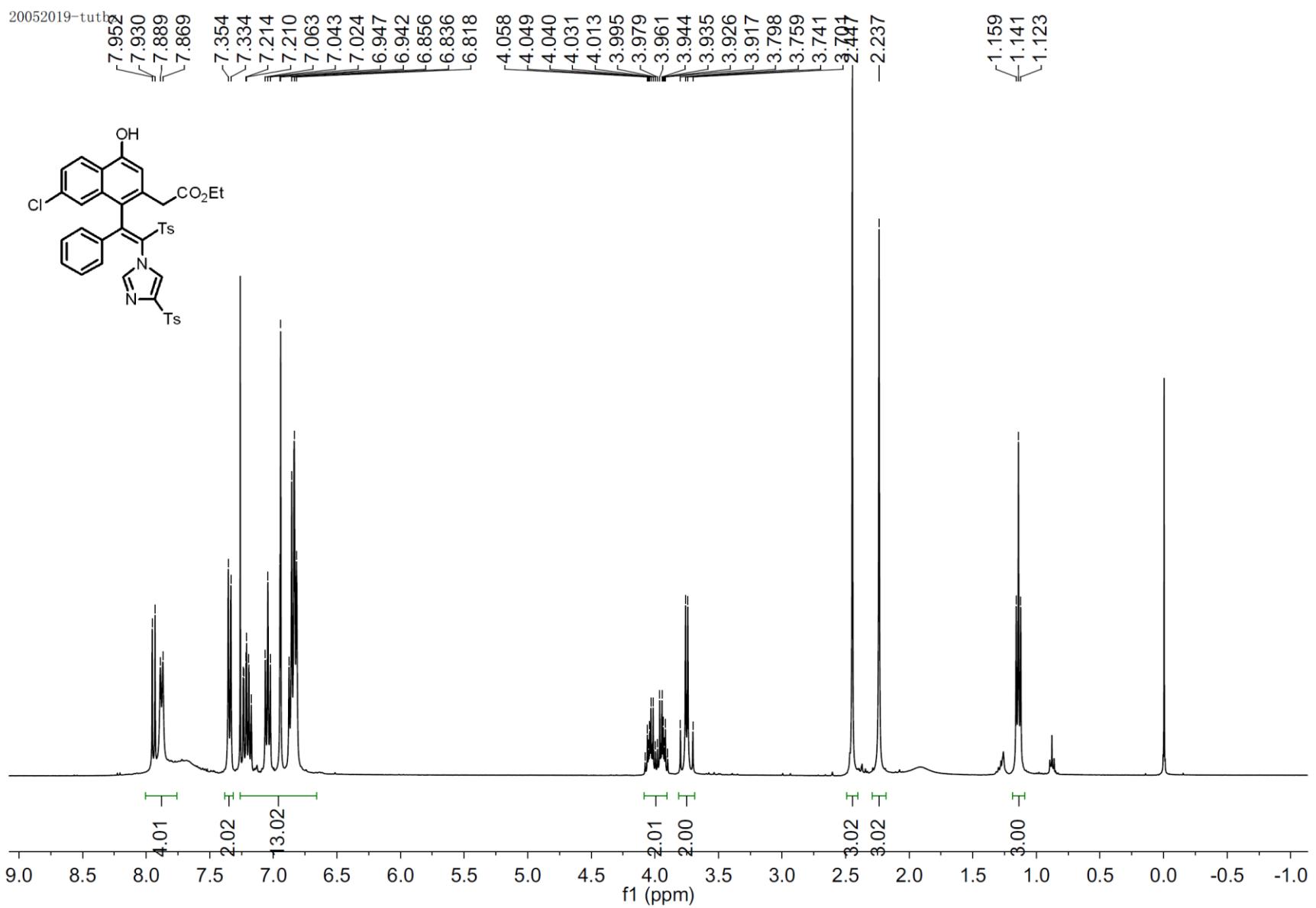


$^1\text{H}$  NMR Spectrum of Compound 3w

21052019-tubz

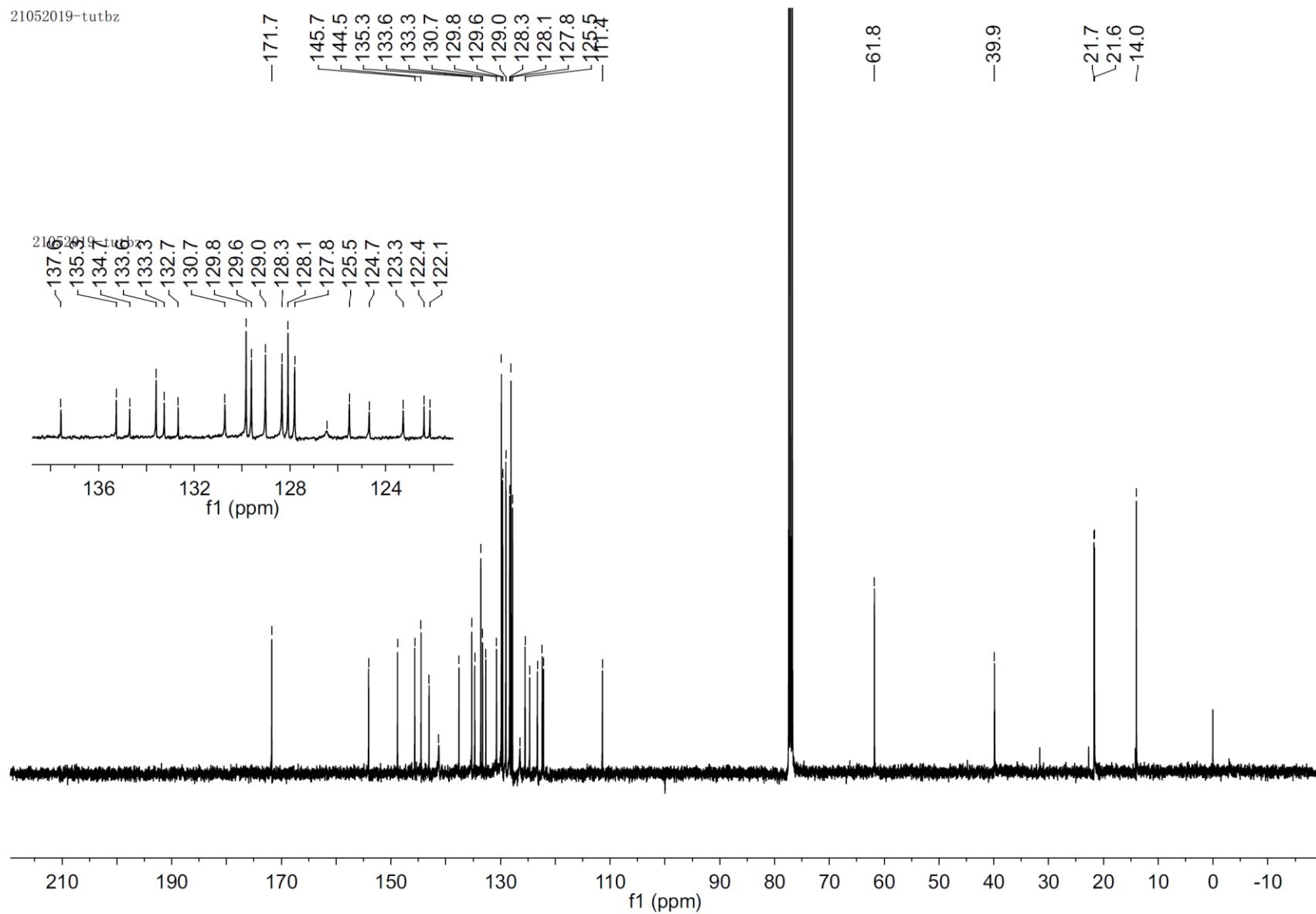


$^{13}\text{C}$  NMR Spectrum of Compound 3w



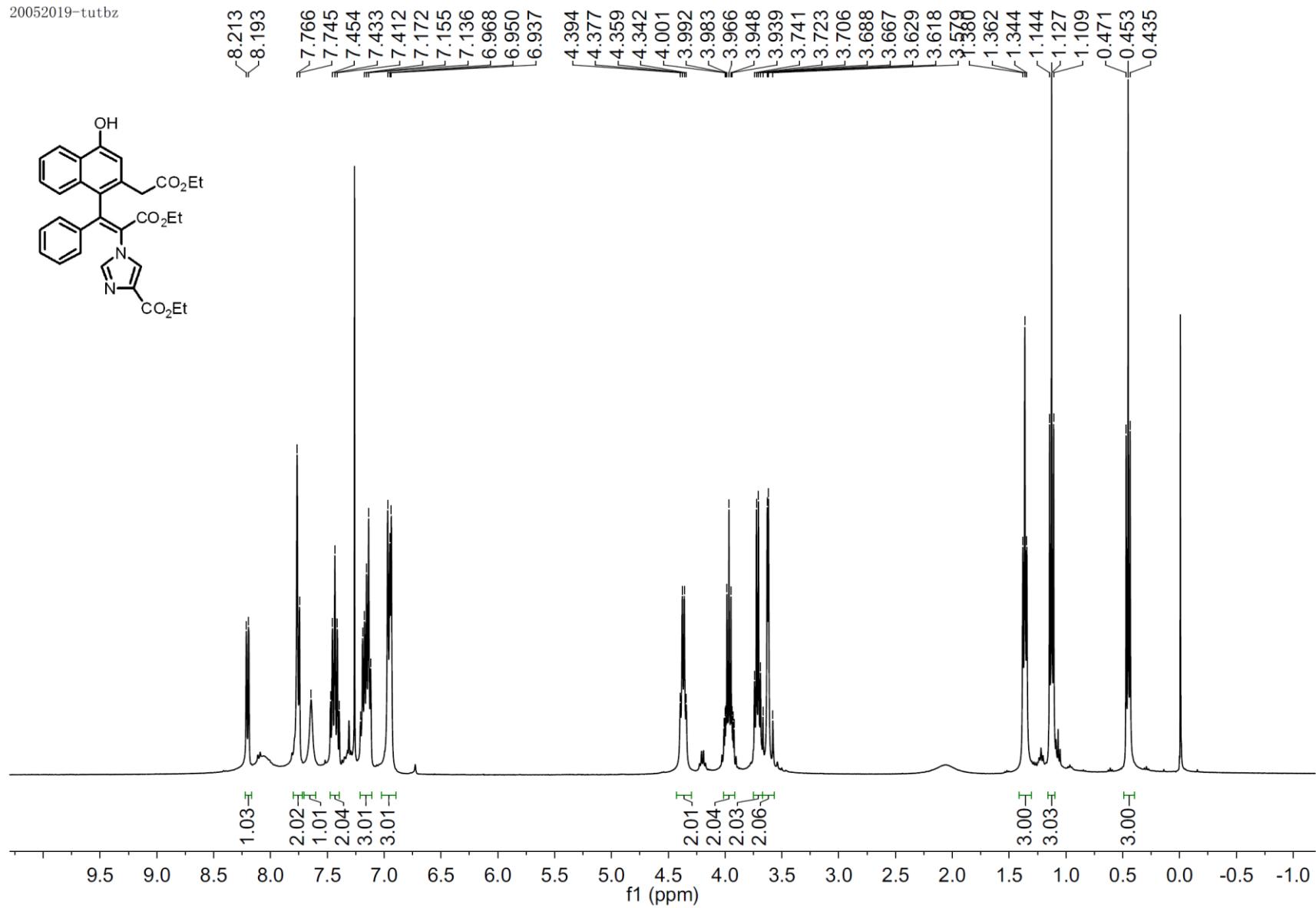
**<sup>1</sup>H NMR Spectrum of Compound 3x**

21052019-tutbz



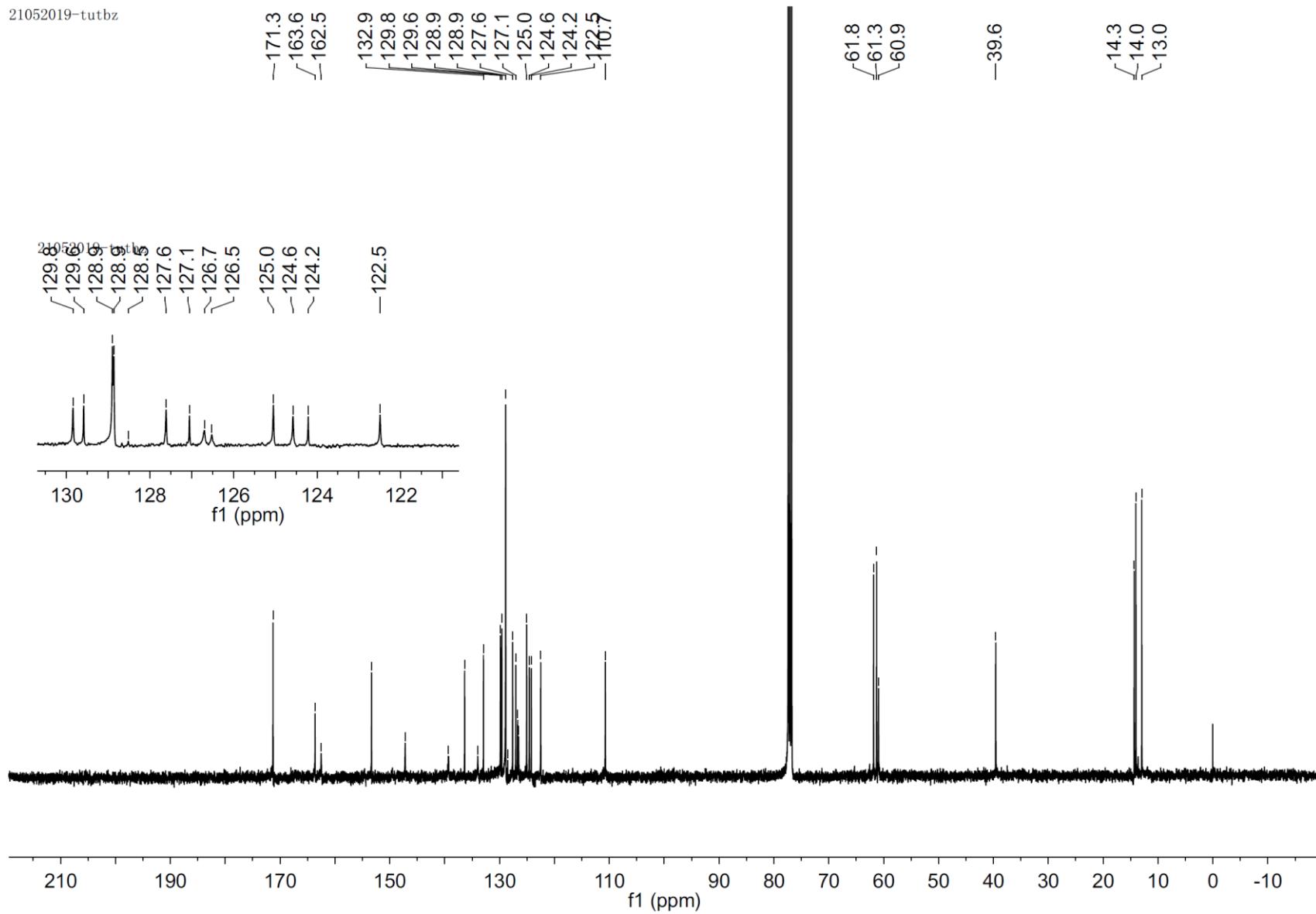
$^{13}\text{C}$  NMR Spectrum of Compound 3x

20052019-tubz



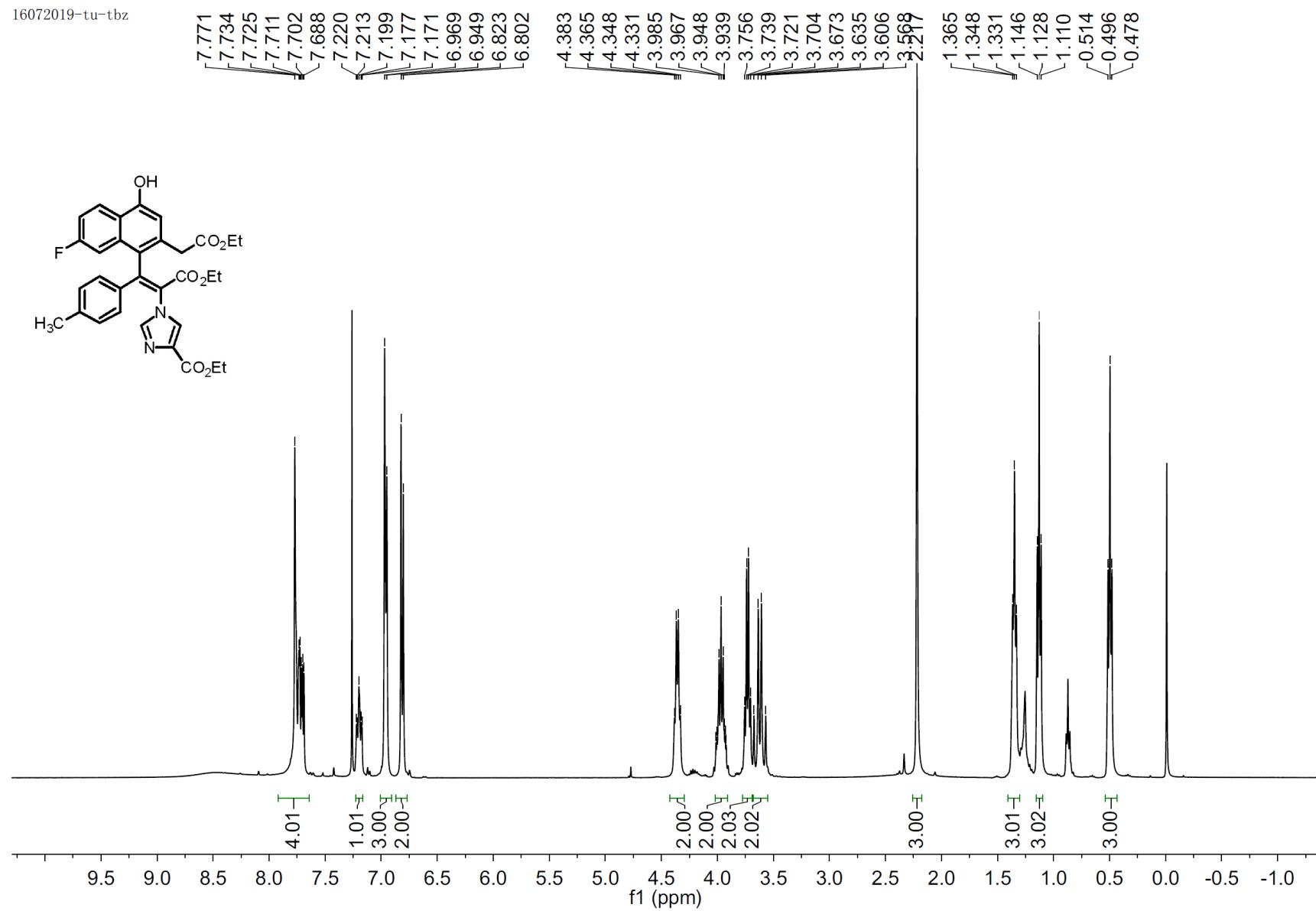
<sup>1</sup>H NMR Spectrum of Compound 3y

21052019-tutbz



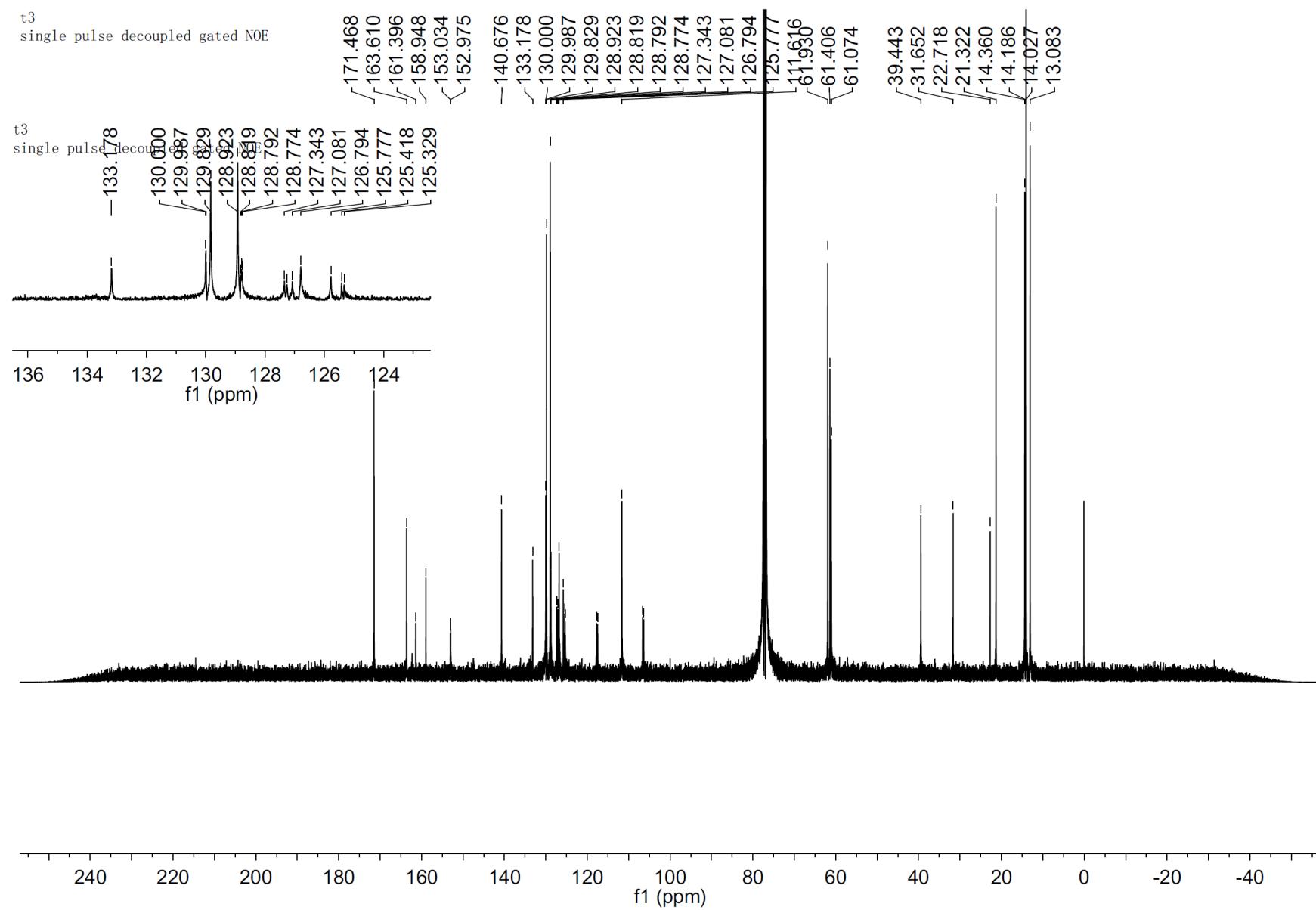
$^{13}\text{C}$  NMR Spectrum of Compound 3y

16072019-tu-tbz

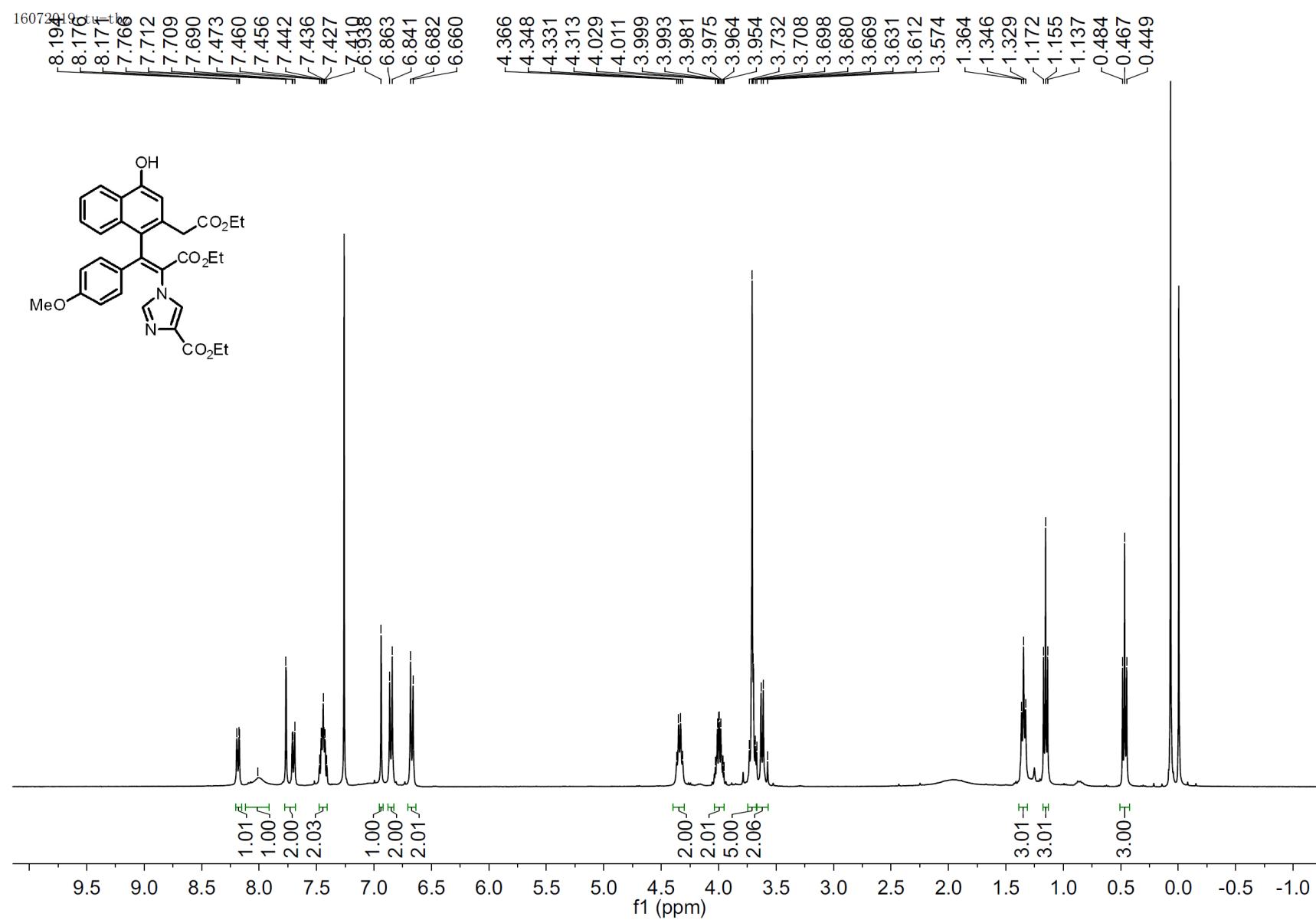


$^1\text{H}$  NMR Spectrum of Compound 3z

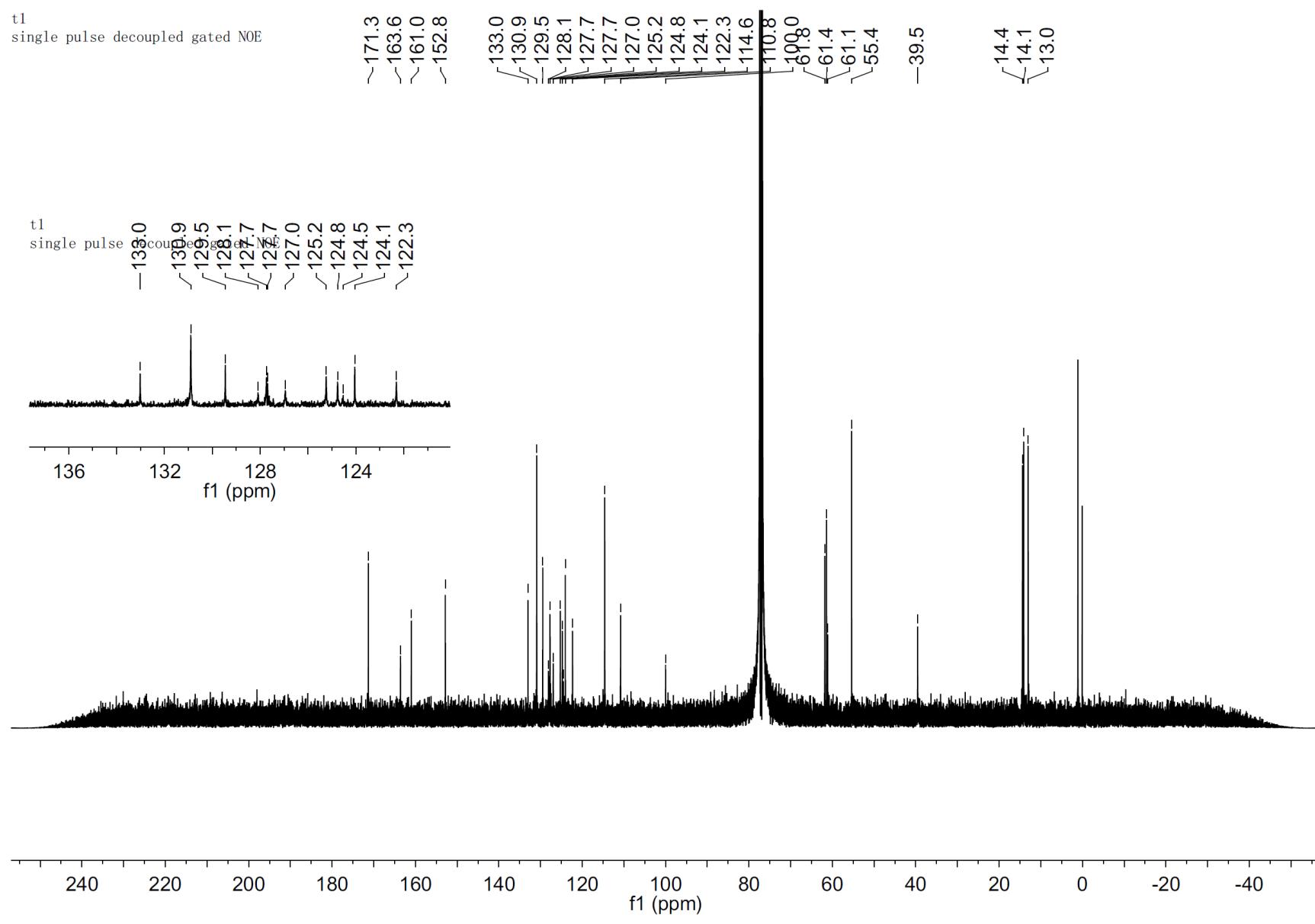
t<sup>3</sup>  
single pulse decoupled gated NOE



<sup>13</sup>C NMR Spectrum of Compound 3z

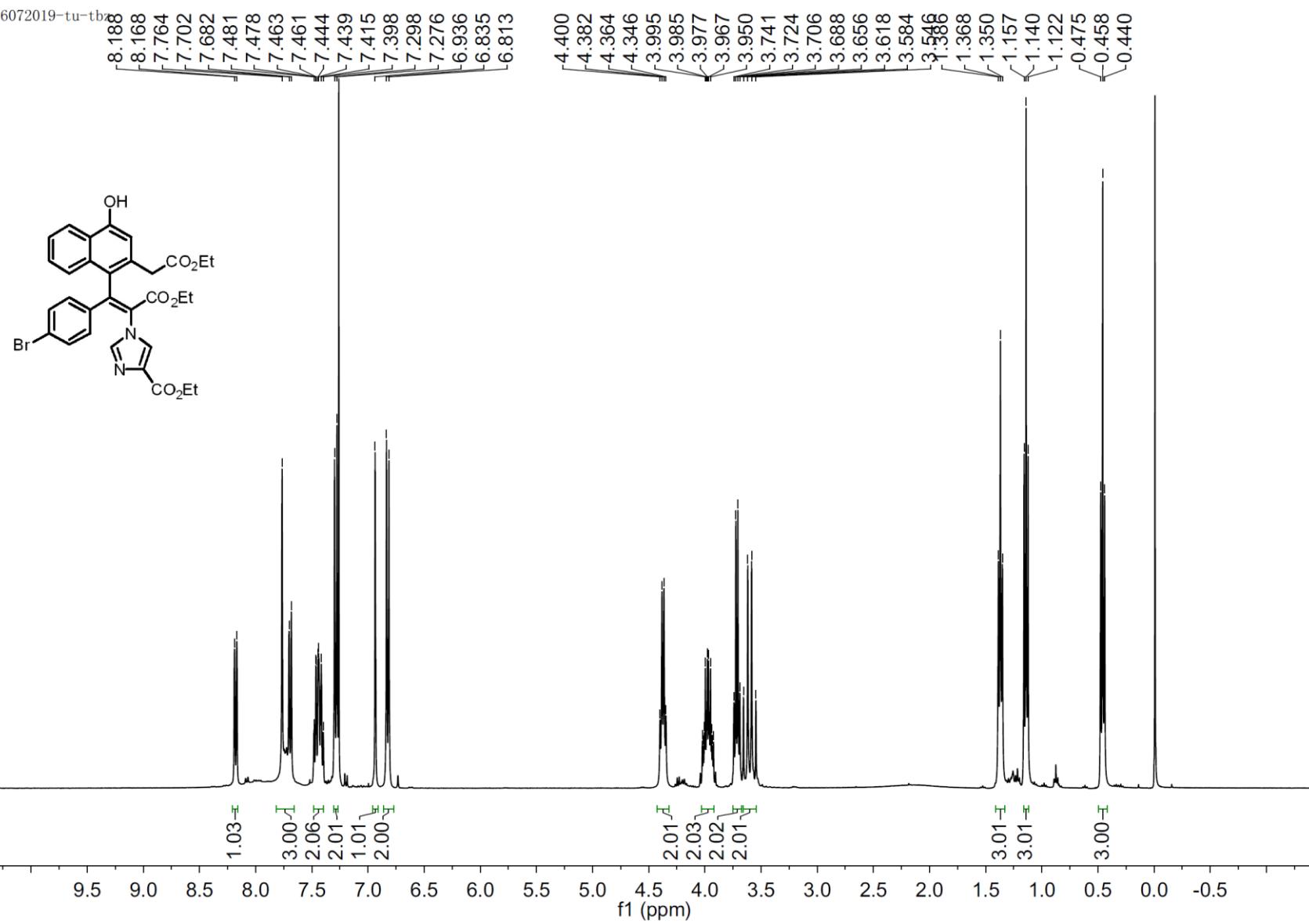


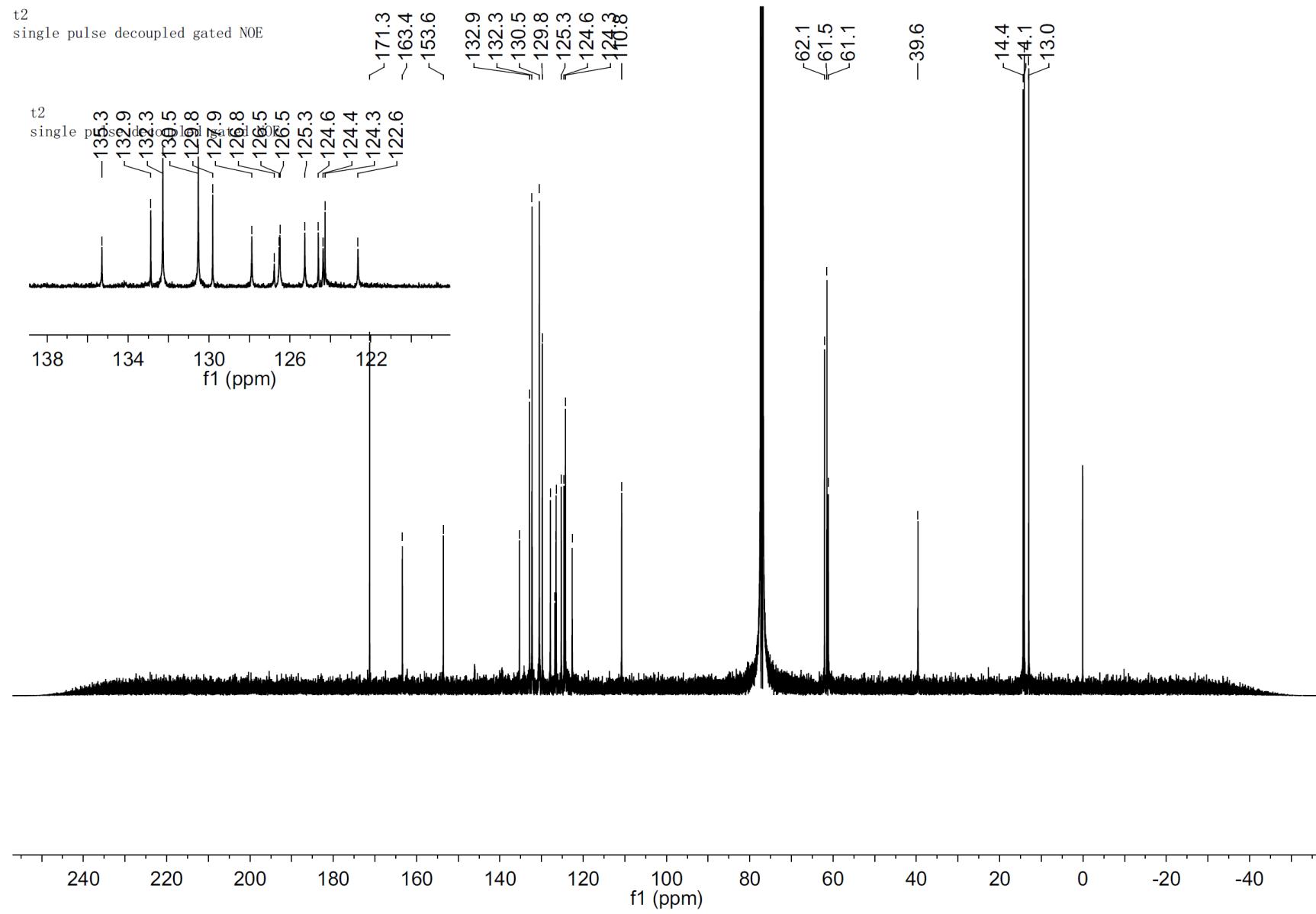
<sup>1</sup>H NMR Spectrum of Compound 3aa



<sup>13</sup>C NMR Spectrum of Compound 3aa

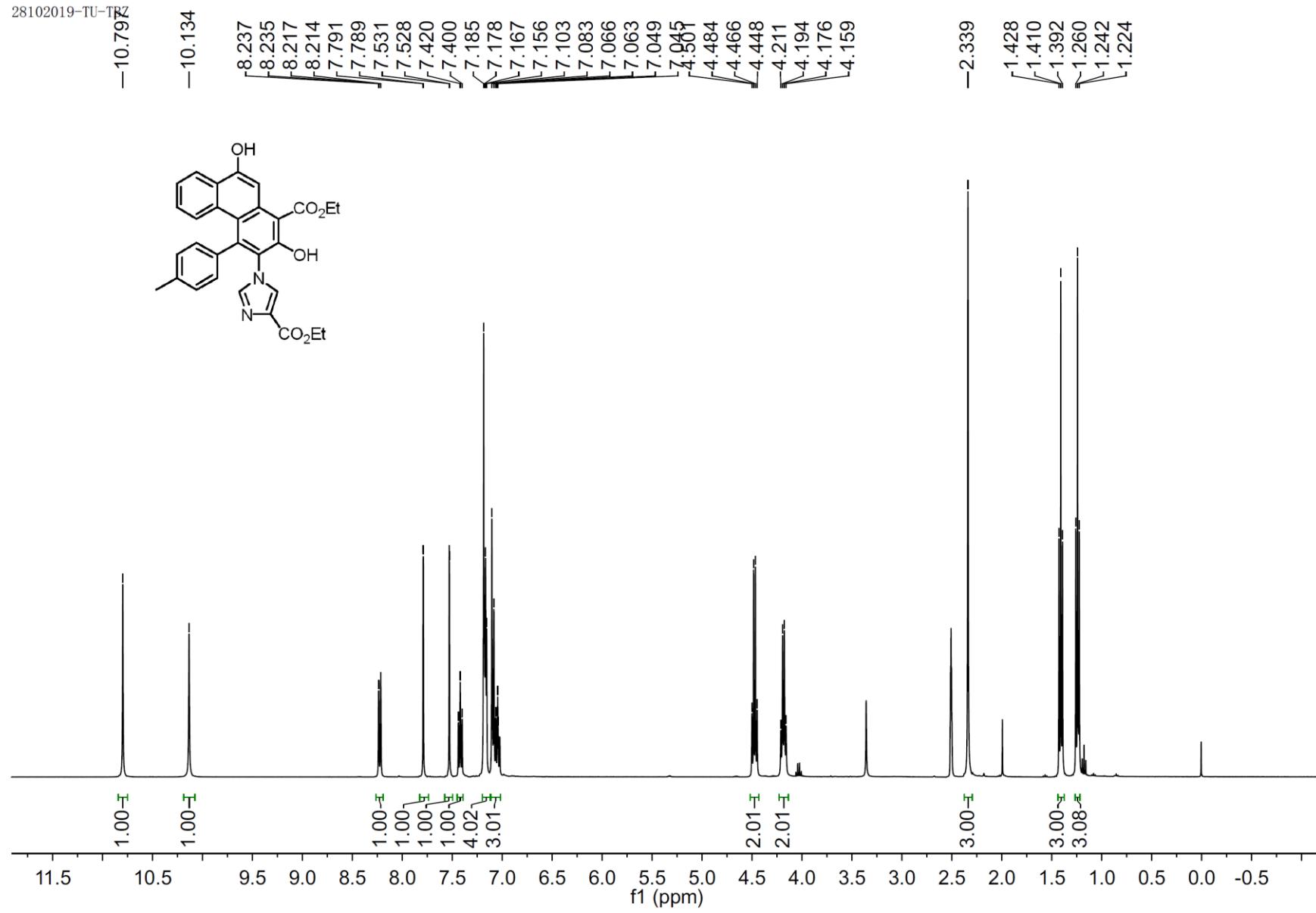
16072019-tu-tb

 $^1\text{H}$  NMR Spectrum of Compound 3bb



**<sup>13</sup>C NMR Spectrum of Compound 3bb**

28102019-TU-TRZ



$^1\text{H}$  NMR Spectrum of Compound 5a

