



Supporting Information

for

Efficient synthesis of ethyl 2-(oxazolin-2-yl)alkanoates via ethoxycarbonylketene-induced electrophilic ring expansion of aziridines

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Beilstein J. Org. Chem. **2022**, *18*, 70–76. doi:10.3762/bjoc.18.6

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Analytic data of products 3

Ethyl 2-(5-phenyl-4,5-dihydrooxazol-2-yl)propanoate (3aa)

Colorless oil (68 mg, 68%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.39 – 7.28 (m, 10H), 5.52 (d, J = 8.0 Hz, 1H), 5.49 (d, J = 7.6 Hz, 1H), 4.31 (ddd, J = 10.4, 3.2, 1.2 Hz, 1H), 4.28 (ddd, J = 10.0, 3.2, 0.8 Hz, 1H), 4.21 (q, J = 7.1 Hz, 4H), 3.83 (ddd, J = 7.9, 4.1, 0.7 Hz, 1H), 3.79 (ddd, J = 7.8, 4.1, 0.7 Hz, 1H), 3.59 (q, J = 7.2 Hz, 1H), 3.54 (q, J = 7.2 Hz, 1H), 1.54 (d, J = 7.6 Hz, 3H), 1.52 (d, J = 7.6 Hz, 3H), 1.28 (t, J = 7.2 Hz, 3H), 1.27 (t, J = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.8 (170.7), 165.43 (165.42), 141.0 (140.9), 128.8, 128.34 (128.30), 125.8 (125.7), 81.4 (81.3), 62.8 (62.7), 61.5, 40.13 (40.10), 14.5 (14.4), 14.1. IR (KBr) ν 3349, 3032, 2984, 2942, 2878, 1739, 1672, 1591, 1454, 1312, 1238, 1202, 1089, 987, 955, 761, 700 cm^{-1} . HRMS-ESI (m/z): calcd for $\text{C}_{14}\text{H}_{18}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 248.1281, found 248.1285.

Ethyl 2-(5-(4-methylphenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ab)

Yellow oil (52 mg, 66%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.23 – 7.13 (m, 8H), 5.48 (d, J = 8.0 Hz, 1H), 5.45 (d, J = 8.0 Hz, 1H), 4.32 – 4.24 (m, 2H), 4.20 (q, J = 7.2 Hz, 4H), 3.81 (ddd, J = 8.0, 1.2 Hz, 1H), 3.78 (ddd, J = 8.4, 4.0, 0.8 Hz, 1H), 3.56 (q, J = 7.2 Hz, 1H), 3.52 (q, J = 7.2 Hz, 1H), 2.34 (s, 6H), 1.51 (t, J = 7.5 Hz, 6H), 1.28 (d, J = 7.1 Hz, 3H), 1.26 (d, J = 6.5 Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.8 (170.7), 165.4, 138.2 (138.1), 137.9 (137.8), 129.4, 125.9 (125.8), 81.4 (81.3), 62.7 (62.6), 61.4, 40.1, 21.17, 14.5 (14.3), 14.1. HRMS-ESI (m/z): calcd for $\text{C}_{15}\text{H}_{20}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 262.1438, found 262.1448.

Ethyl 2-(5-(4-chlorophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ac)

Colorless oil (72 mg, 85%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.34 (d, J = 8.4 Hz, 4H), 7.24 (d, J = 8.4 Hz, 4H), 5.49 (d, J = 7.6 Hz, 1H), 5.47 (d, J = 7.6 Hz, 1H), 4.34 – 4.31 (m, 1H), 4.30 – 4.26 (m, 1H), 4.22 (q, J = 7.1 Hz, 4H), 3.78 (dd, J = 7.6, 2.0 Hz, 1H), 3.75 (dd, J = 7.6, 2.4 Hz, 1H), 3.59 (q, J = 7.6 Hz, 1H), 3.53 (q, J =

7.2 Hz, 1H), 1.53 (d, $J = 7.5$ Hz, 3H), 1.51 (d, $J = 7.6$ Hz, 3H), 1.28 (t, $J = 7.2$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.7 (170.6), 165.3, 139.5, 139.4, 134.2 (134.1), 127.2 (127.1), 80.6 (80.5), 62.8 (62.7), 61.5, 40.1 (40.0), 14.5, 14.3 (14.1). HRMS-ESI (m/z): calcd for $\text{C}_{14}\text{H}_{17}\text{ClNO}_3^+$ $[\text{M}+\text{H}]^+$: 282.0891, found 282.0901.

Ethyl 2-(5-(4-bromophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ad)

Yellow oil (66 mg 67%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.47 (d, $J = 8.5$ Hz, 4H), 7.16 (d, $J = 8.4$ Hz, 4H), 5.48 – 5.41 (m, 2H), 4.29 (ddd, $J = 14.4, 2.8, 1.2$ Hz, 1H), 4.26 (ddd, $J = 10.0, 2.8, 1.2$ Hz, 1H), 4.19 (q, $J = 7.1$ Hz, 4H), 3.76 (ddd, $J = 7.6, 2.3, 0.8$ Hz, 1H), 3.72 (ddd, $J = 7.6, 2.4, 0.8$ Hz, 1H), 3.56 (q, $J = 7.4$ Hz, 1H), 3.51 (q, $J = 7.4$ Hz, 1H), 1.51 (d, $J = 7.3$ Hz, 3H), 1.49 (d, $J = 7.3$ Hz, 3H), 1.26 (t, $J = 7.1$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.7 (170.6), 165.3, 140.0 (139.9), 131.9, 127.5 (127.4), 122.3 (122.2), 80.6 (80.5), 62.8 (62.6), 61.5, 40.1 (40.0), 14.5 (14.3), 14.1. HRMS-ESI (m/z): calcd for $\text{C}_{14}\text{H}_{17}\text{BrNO}_3^+$ $[\text{M}+\text{H}]^+$: 326.0386, found 326.0395.

Ethyl 2-(5-(3-chlorophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3ae)

Yellow oil (50 mg 60%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.33 – 7.27 (m, 6H), 7.19 – 7.14 (m, 2H), 5.48 (d, $J = 7.6$ Hz, 1H), 5.48 (d, $J = 8.0$ Hz, 1H), 4.34 – 4.30 (m, 1H), 4.30 – 4.26 (m, 1H), 4.23 (q, $J = 7.1$ Hz, 4H), 3.79 (dd, $J = 7.7, 2.3$ Hz, 1H), 3.75 (dd, $J = 7.5, 2.1$ Hz, 1H), 3.59 (q, $J = 7.3$ Hz, 1H), 3.53 (q, $J = 7.2$ Hz, 1H), 1.53 (d, $J = 7.3$ Hz, 3H), 1.51 (d, $J = 7.3$ Hz, 3H), 1.28 (t, $J = 7.1$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.7 (170.6), 165.34, 143.1 (143.0), 134.7, 130.1, 128.44 (128.40), 125.79 (125.76), 123.8 (123.7), 80.4 (80.3), 62.8 (62.7), 61.6, 40.10 (40.06), 14.5 (14.3), 14.1. HRMS-ESI (m/z): calcd for $\text{C}_{14}\text{H}_{17}\text{ClNO}_3^+$ $[\text{M}+\text{H}]^+$: 282.0819, found 282.0895.

Ethyl 2-(5-(2-chlorophenyl)-4,5-dihydrooxazol-2-yl)propanoate (3af)

Yellow oil (77 mg 91%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.46 – 7.41 (m, 2H), 7.40 – 7.33 (m, 2H), 7.34 – 7.19 (m, 4H), 5.87 – 5.81 (m, 2H), 4.48 – 4.44 (m, 1H), 4.44 –

4.40 (m, 1H), 4.25 (q, $J = 7.1$ Hz, 4H), 3.70 (dd, $J = 7.9, 1.0$ Hz, 1H), 3.67 (d, $J = 7.9, 1.0$ Hz, 1H), 3.64 (q, $J = 7.6$ Hz, 1H), 3.58 (q, $J = 7.6$ Hz, 1H), 1.57 (d, $J = 7.6$ Hz, 3H), 1.54 (d, $J = 7.6$ Hz, 3H), 1.31 (t, $J = 7.1$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.8 (170.7), 165.1, 139.0 (138.9), 131.1 (131.0), 129.5 (129.4), 129.01 (128.98), 127.14 (127.09), 125.9, 78.2 (78.1), 62.2 (62.1), 61.5, 40.2 (40.1), 14.5 (14.4), 14.1. HRMS-ESI (m/z): calcd for $\text{C}_{14}\text{H}_{17}\text{ClNO}_3^+$ [$\text{M}+\text{H}$] $^+$: 282.0819, found 282.0898.

Ethyl 2-(5-(benzo[*d*][1,3]dioxol-5-yl)-4,5-dihydrooxazol-2-yl)propanoate (3ag)

Yellow oil (60 mg, 69%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 6.86 – 6.72 (m, 6H), 5.96 (s, 4H), 5.44 (d, $J = 8.0$ Hz, 1H), 5.41 (d, $J = 8.0$ Hz, 1H), 4.29 – 4.22 (m, 2H), 4.21 (q, $J = 7.1$ Hz, 4H), 3.79 (ddd, $J = 7.7, 3.9, 0.9$ Hz, 1H), 3.76 (ddd, $J = 7.7, 4.0, 0.9$ Hz, 1H), 3.57 (q, $J = 7.3$ Hz, 1H), 3.51 (q, $J = 7.3$ Hz, 1H), 1.52 (d, $J = 7.3$ Hz, 3H), 1.50 (d, $J = 7.3$ Hz, 3H), 1.28 (t, $J = 7.1$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.8 (170.7), 165.4, 148.2, 147.70 (147.66), 134.8 (134.7), 119.8 (119.6), 108.2, 106.2, 101.2, 81.4 (81.3), 62.7 (62.5), 61.5, 40.11 (40.08), 14.5 (14.3), 14.1. HRMS-ESI (m/z): calcd for $\text{C}_{15}\text{H}_{18}\text{NO}_5^+$ [$\text{M}+\text{H}$] $^+$: 292.1179, found 292.1187.

Ethyl 2-(5-(naphthalen-2-yl)-4,5-dihydrooxazol-2-yl)propanoate (3ah)

Yellow oil (63 mg, 71%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.92 – 7.83 (m, 6H), 7.77 (s, 2H), 7.55 – 7.50 (m, 4H), 7.44 (d, $J = 8.4$ Hz, 2H), 5.71 (d, $J = 7.6$ Hz, 1H), 5.69 (d, $J = 7.6$ Hz, 1H), 4.43 – 4.39 (m, 1H), 4.39 – 4.35 (m, 1H), 4.26 (q, $J = 7.2$ Hz, 4H), 3.95 (dd, $J = 8.0, 4.0$ Hz, 1H), 3.91 (dd, $J = 8.0, 4.0$ Hz, 1H), 3.66 (q, $J = 7.2$ Hz, 1H), 3.61 (q, $J = 7.2$ Hz, 1H), 1.60 (d, $J = 8.0$ Hz, 3H), 1.58 (d, $J = 8.0$ Hz, 3H), 1.31 (t, $J = 7.2$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.8 (170.7), 165.6 (165.5), 138.1 (138.0), 133.21 (133.20), 133.1, 128.97 (128.95), 127.97 (127.96), 127.8, 126.49 (126.47), 126.33 (126.31), 125.1 (125.0), 123.30 (123.25),

81.6 (81.5), 62.7 (62.6), 61.5, 40.19 (40.17), 14.5 (14.4), 14.2. HRMS-ESI (m/z): calcd for $C_{18}H_{20}NO_3^+$ $[M+H]^+$: 298.1438, found 298.1440.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)propanoate (3ai)

Yellow oil (73 mg, 82%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). 1H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.88 (m, 2H), 7.81 (d, J = 8.0 Hz, 2H), 7.77 – 7.73 (m, 2H), 7.60 – 7.50 (m, 6H), 7.50 – 7.45 (m, 2H), 6.22 (dd, J = 8.0, 2.4 Hz, 1H), 6.20 (dd, J = 8.4, 2.4 Hz, 1H), 4.58 – 4.54 (m, 1H), 4.54 – 4.50 (m, 1H), 4.27 (q, J = 7.1 Hz, 4H), 3.84 (ddd, J = 8.4, 3.2, 0.8 Hz, 1H), 3.81 (ddd, J = 8.0, 3.2, 0.8 Hz, 1H), 3.69 (q, J = 7.2 Hz, 1H), 3.63 (q, J = 7.2 Hz, 1H), 1.61 (d, J = 7.2 Hz, 3H), 1.60 (d, J = 7.2 Hz, 3H), 1.31 (t, J = 7.2 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.83 (170.80), 165.52 (165.50), 136.4 (136.3), 133.85 (133.84), 129.59 (129.54), 129.1, 128.5 (128.4), 126.45 (126.43), 125.86 (125.84), 125.44 (125.39), 122.68 (122.67), 122.0, 79.21 (79.17), 62.4 (62.3), 61.5, 40.29 (40.25), 14.58 (14.55), 14.2. HRMS-ESI (m/z): calcd for $C_{18}H_{20}NO_3^+$ $[M+H]^+$: 298.1438, found 298.1441.

Methyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)propanoate (3bi)

Yellow oil (74 mg, 88%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). 1H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.89 (m, 2H), 7.82 (d, J = 8.2 Hz, 2H), 7.79 – 7.75 (m, 2H), 7.56 – 7.50 (m, 6H), 7.47 (dt, J = 2.2, 7.6 Hz, 2H), 6.22 (d, J = 8.4 Hz, 1H), 6.19 (d, J = 8.4 Hz, 1H), 4.57 – 4.54 (m, 1H), 4.52 (ddd, J = 10.5, 2.6, 1.1 Hz, 1H), 3.85 (ddd, J = 8.4, 3.2, 1.2 Hz, 1H), 3.83 – 3.81 (m, 1H), 3.81 (s, 6H), 3.73 – 3.70 (m, 1H), 3.70 – 3.65 (m, 1H), 1.62 (d, J = 7.4 Hz, 3H), 1.60 (d, J = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.2, 165.4, 136.3 (136.2), 133.9, 129.59 (129.55), 129.1, 128.6 (128.5), 126.5, 125.9, 125.5 (125.4), 122.7, 122.11 (122.09), 79.4 (79.3), 62.3 (62.2), 52.59 (52.57), 40.1, 14.55 (14.53). HRMS-ESI (m/z): calcd for $C_{17}H_{18}NO_3^+$ $[M+H]^+$: 284.1281, found 284.1291.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)pentanoate (3ci)

Yellow oil (84 mg, 86%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). 1H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.88 (m, 2H), 7.81 (d, J = 8.2

Hz, 2H), 7.77 - 7.72 (m, 2H), 7.59 - 7.55 (m, 2H), 7.55 - 7.50 (m, 4H), 7.47 (t, $J = 7.2$ Hz, 2H), 6.21 (dd, $J = 8.0, 2.4$ Hz, 1H), 6.18 (dd, $J = 8.0, 2.4$ Hz, 1H), 4.56 (ddd, $J = 10.4, 1.6, 1.0$ Hz, 1H), 4.52 (ddd, $J = 10.5, 1.6, 1.0$ Hz, 1H), 4.27 (d, $J = 7.2$ Hz, 4H), 3.84 (d, $J = 8.4$ Hz, 1H), 3.81 (d, $J = 8.4$ Hz, 1H), 3.60 (t, $J = 7.6$ Hz, 1H), 3.55 (t, $J = 7.6$ Hz, 1H), 2.12 - 1.99 (m, 4H), 1.493 (hexet, $J = 7.6$ Hz, 2H), 1.489 (hexet, $J = 7.6$ Hz, 2H), 1.313 (t, $J = 7.2$ Hz, 3H), 1.310 (t, $J = 7.2$ Hz, 3H), 1.01 (d, $J = 7.2$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.3, 164.8 (164.7), 136.44 (136.40), 133.9, 129.6, 129.1, 128.5, 126.4, 125.9, 125.4, 122.7, 122.0 (121.9), 79.1 (79.0), 62.34 (62.27), 61.4, 45.84 (45.76), 31.5 (31.4), 20.7, 14.2, 13.8. HRMS-ESI (m/z): calcd for $\text{C}_{20}\text{H}_{24}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 326.1751, found 326.1754.

Ethyl 3-methyl-2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)butanoate (3di)

Yellow oil (74 mg, 73%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.91 - 7.88 (m, 2H), 7.81 (d, $J = 8.0$ Hz, 2H), 7.77 - 7.71 (m, 2H), 7.61 - 7.55 (m, 2H), 7.55 - 7.49 (m, 4H), 7.47 (t, $J = 8.0$ Hz, 2H), 6.21 (dd, $J = 6.6, 3.6$ Hz, 1H), 6.18 (dd, $J = 6.7, 3.7$ Hz, 1H), 4.57 (d, $J = 10.6$ Hz, 1H), 4.54 (d, $J = 10.6$ Hz, 1H), 4.26 (q, $J = 7.2$ Hz, 4H), 3.84 (t, $J = 9.2$ Hz, 1H), 3.81 (t, $J = 9.2$ Hz, 1H), 3.37 (d, $J = 8.8$ Hz, 1H), 3.33 (d, $J = 8.8$ Hz, 1H), 2.63 - 2.49 (m, 2H), 1.32 (t, $J = 7.1$ Hz, 3H), 1.31 (t, $J = 7.1$ Hz, 3H), 1.14 (d, $J = 6.4$ Hz, 3H), 1.13 (d, $J = 6.8$ Hz, 3H), 1.10 (d, $J = 6.8$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.7, 164.2 (164.0), 136.5 (136.4), 133.8, 129.6 (129.5), 129.1, 128.44 (128.38), 126.43 (126.39), 125.85 (125.83), 125.44 (125.43), 122.8 (122.7), 121.9, 78.9 (78.8), 62.25 (62.23), 61.3 (61.2), 53.3, 53.0, 29.13 (29.06), 20.7 (20.6), 14.2. HRMS-ESI (m/z): calcd for $\text{C}_{20}\text{H}_{24}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 326.1751, found 326.1756.

Ethyl 2-cyclohexyl-2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)acetate (3ei)

Yellow oil (98 mg, 90%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.92 - 7.88 (m, 2H), 7.81 (d, $J = 8.2$ Hz, 2H), 7.76 - 7.70 (m, 2H), 7.62 - 7.58 (d, $J = 7.6$ Hz, 2H), 7.56 - 7.50

(m, 4H), 7.46 (t, $J = 7.6$ Hz, 2H), 6.21 (d, $J = 8.4$ Hz, 1H), 6.17 (d, $J = 8.4$ Hz, 1H), 4.56 (d, $J = 10.4$ Hz, 1H), 4.53 (d, $J = 10.4$ Hz, 1H), 4.25 (q, $J = 6.8$ Hz, 4H), 3.83 (dd, $J = 14.0, 8.4$ Hz, 1H), 3.80 (dd, $J = 14.0, 8.4$ Hz, 1H), 3.41 (d, $J = 9.3$ Hz, 1H), 3.36 (d, $J = 9.2$ Hz, 1H), 2.33 – 2.17 (m, 2H), 1.96 – 1.66 (m, 12H), 1.41 – 1.34 (m, 2H), 1.31 (t, $J = 7.1$ Hz, 3H), 1.30 (t, $J = 7.1$ Hz, 3H), 1.25 – 1.12 (m, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.69 (169.65), 164.0 (163.8), 136.5 (136.4), 133.8, 129.6 (129.5), 129.1, 128.43 (128.36), 126.41 (126.38), 125.84 (125.82), 125.45 (125.38), 122.8 (122.7), 121.92, 79.0 (78.8), 62.3 (62.2), 61.3 (61.2), 52.5, 52.3, 38.3 (38.1), 31.06 (30.95), 30.9, 26.2 (26.1), 26.0, 14.3. HRMS-ESI (m/z): calcd for $\text{C}_{23}\text{H}_{28}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 366.2064, found 366.2077.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)-3-phenylpropanoate (3fi)

Yellow oil (95 mg, 85%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.92 – 7.86 (m, 2H), 7.83 – 7.77 (m, 2H), 7.75 – 7.67 (m, 2H), 7.54 – 7.48 (m, 4H), 7.46 – 7.35 (m, 4H), 7.38 – 7.24 (m, 10H), 6.17 (dd, $J = 8.0, 1.6$ Hz, 1H), 6.14 (dd, $J = 8.0, 1.6$ Hz, 1H), 4.51 (dd, $J = 13.6, 10.4$ Hz, 1H), 4.47 (dd, $J = 13.6, 10.4$ Hz, 1H), 4.29 – 4.21 (m, 1H), 4.22 (q, $J = 7.2$ Hz, 2H), 4.19 (q, $J = 7.2$ Hz, 2H), 3.93 – 3.88 (m, 1H), 3.88 – 3.84 (m, 1H), 3.80 (dd, $J = 14.8, 6.4$ Hz, 1H), 3.76 (dd, $J = 15.6, 7.2$ Hz, 1H), 3.42 – 3.31 (m, 3H), 1.23 (t, $J = 7.2$ Hz, 3H), 1.20 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 169.62 (169.58), 164.2 (164.0), 138.06 (138.02), 136.23 (136.16), 133.8, 129.6 (129.5), 129.1 (129.0), 128.9, 128.56 (128.51), 128.4, 127.9, 126.78 (126.74), 126.45 (126.43), 125.8, 125.45 (125.42), 122.68 (122.64), 122.2, 122.0, 79.1, 62.4 (62.3), 61.61 (61.57), 47.8 (47.5), 35.5 (35.4), 14.11 (14.09). HRMS-ESI (m/z): calcd for $\text{C}_{24}\text{H}_{24}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 374.1751, found 374.1754.

Ethyl 2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)hex-5-enoate (3gi)

Yellow oil (95 mg, 94%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.93 – 7.88 (m, 2H), 7.81 (d, $J = 8.0$

Hz, 2H), 7.77 - 7.73 (m, 2H), 7.59 - 7.52 (m, 6H), 7.52 - 7.45 (m, 2H), 6.23 - 6.17 (m, 2H), 5.90 - 5.79 (m, 2H), 5.14 - 5.08 (m, 2H), 5.08 - 5.03 (m, 2H), 4.56 (ddd, $J = 10.4, 3.6, 0.9$ Hz, 1H), 4.53 (ddd, $J = 10.4, 3.6, 0.9$ Hz, 1H), 4.27 (q, $J = 7.1$ Hz, 4H), 3.85 (dd, $J = 8.0, 0.8$ Hz, 1H), 3.82 (dd, $J = 8.0, 0.8$ Hz, 1H), 3.61 (t, $J = 7.2$ Hz, 1H), 3.58 (t, $J = 7.2$ Hz, 1H), 2.26 - 2.16 (m, 8H), 1.316 (t, $J = 7.2$ Hz, 3H), 1.314 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.1, 164.5 (164.4), 137.0, 136.38 (136.34), 133.8, 129.6, 129.1, 128.5, 126.4, 125.9, 125.4, 122.7, 122.0 (121.9), 116.0, 79.1 (79.0), 62.34 (62.27), 61.5, 45.2 (45.1), 31.4, 28.6 (28.5), 14.2. HRMS-ESI (m/z): calcd for $\text{C}_{21}\text{H}_{24}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 338.1751, found 338.1755.

***N,N*-Dimethyl-2-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)propanamide (3hi)**

Yellow oil (62 mg, 70%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.92 - 7.88 (m, 2H), 7.81 (d, $J = 7.6$ Hz, 2H), 7.74 - 7.70 (m, 2H), 7.54 - 7.43 (m, 8H), 6.21 (d, $J = 8.4$ Hz, 1H), 6.18 (d, $J = 8.4$ Hz, 1H), 4.57 - 4.52 (m, 1H), 4.52 - 4.47 (m, 1H), 3.90 (q, $J = 6.9$ Hz, 2H), 3.83 (ddd, $J = 8.0, 2.2, 1.1$ Hz, 1H), 3.79 (ddd, $J = 8.0, 2.2, 1.1$ Hz, 1H), 3.16 (s, 3H), 3.14 (s, 3H), 3.05 (s, 3H), 3.04 (s, 3H), 1.59 (d, $J = 7.2$ Hz, 3H), 1.58 (d, $J = 6.8$ Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 170.0 (169.9), 166.2 (166.1), 136.5, 133.9 (133.8), 129.5, 129.1, 128.5 (128.4), 126.44 (126.41), 125.9 (125.8), 125.5 (125.4), 122.7, 121.9 (121.7), 79.0, 62.21 (62.16), 37.62 (37.60), 37.34 (37.28), 36.13 (36.09), 14.9 (14.8). HRMS-ESI (m/z): calcd for $\text{C}_{18}\text{H}_{21}\text{N}_2\text{O}_2^+$ $[\text{M}+\text{H}]^+$: 297.1598, found 297.1609.

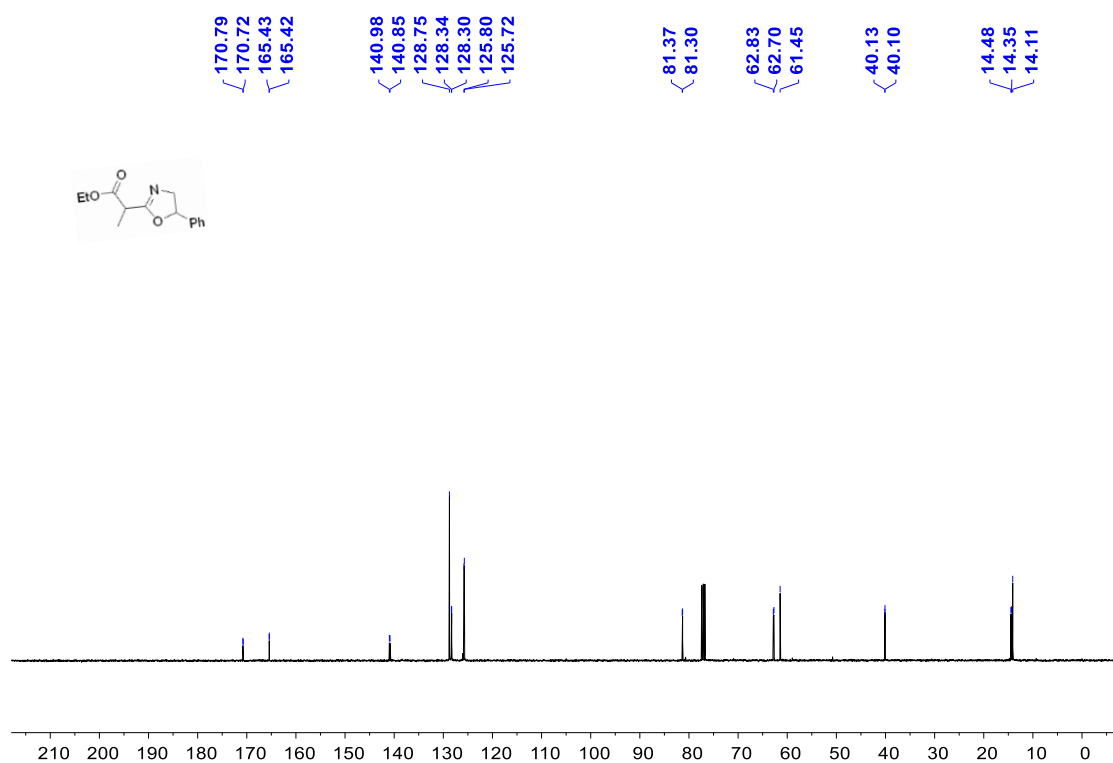
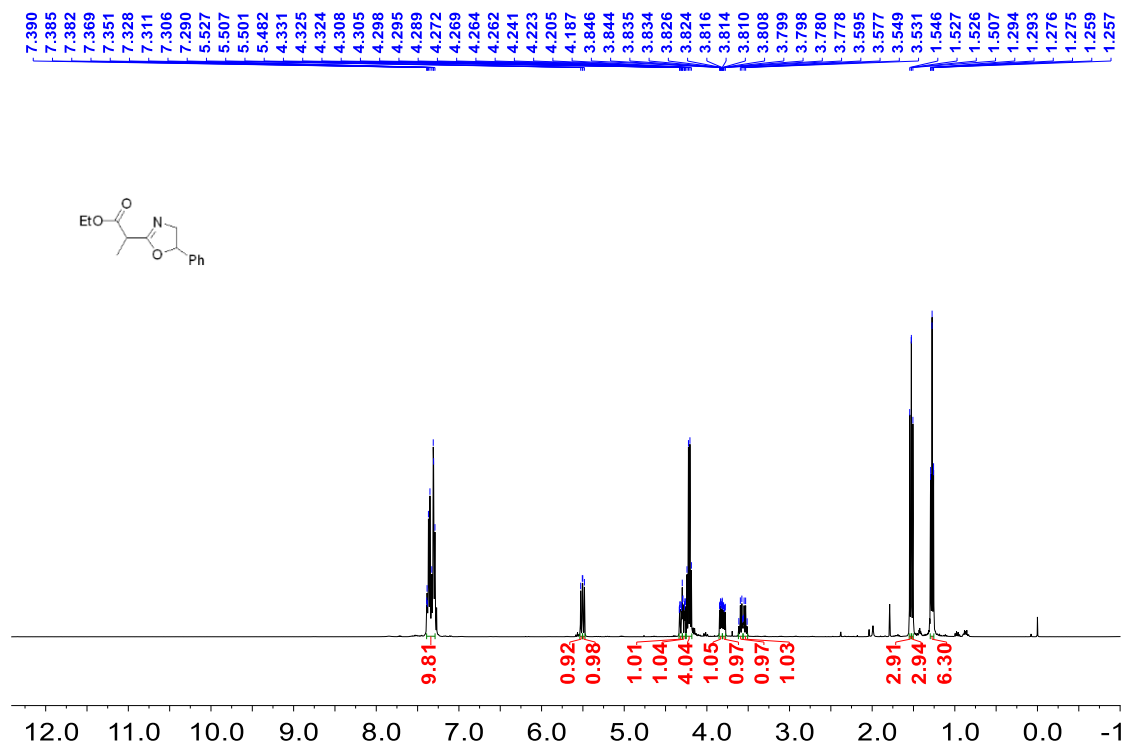
Diethyl (1-(5-(naphthalen-1-yl)-4,5-dihydrooxazol-2-yl)ethyl)phosphonate (3ii)

Yellow oil (100 mg, 92%). A mixture of diastereoisomers (*syn*-isomer: *anti*-isomer = 1:1). ^1H NMR (400 MHz, CDCl_3) δ 7.92 - 7.85 (m, 2H), 7.80 (d, $J = 8.2$ Hz, 2H), 7.75 - 7.70 (m, 4H), 7.55 - 7.45 (m, 6H), 6.23 (d, $J = 8.4$ Hz, 1H), 6.20 (d, $J = 8.4$ Hz, 1H), 4.59 - 4.53 (m, 1H), 4.53 - 4.46 (m, 1H), 4.25 - 4.15 (m, 8H), 3.81 (dd, $J = 9.2, 4.4$ Hz, 1H), 3.77 (dd, $J = 8.5, 5.1$ Hz, 1H),

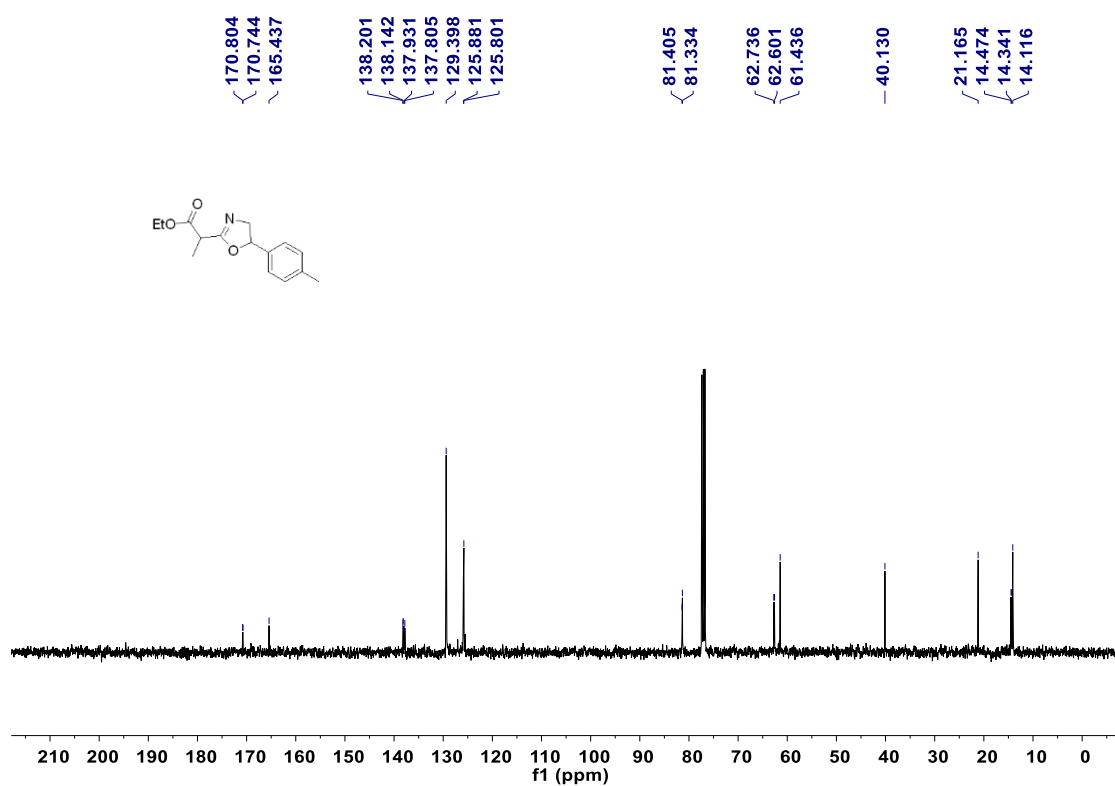
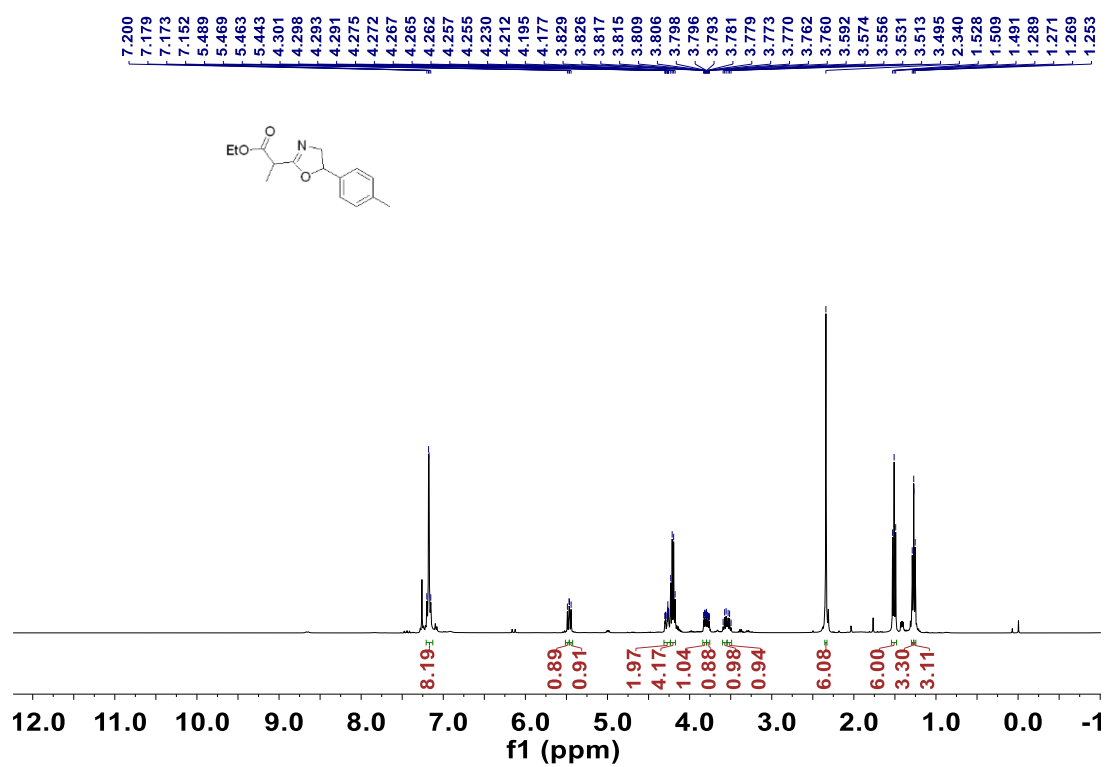
3.28 - 3.21 (m, 1H), 3.21 - 3.13 (m, 1H), 1.63 (dd, $J = 7.3, 6.0$ Hz, 3H), 1.59 (dd, $J = 7.2, 6.2$ Hz, 3H), 1.33 (t, $J = 7.2$ Hz, 6H), 1.31 (t, $J = 7.2$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 164.7 (d, $J = 6.4$ Hz) (164.6 (d, $J = 5.9$ Hz)), 136.5 (136.3), 133.8, 129.6 (129.5), 129.1, 128.4 (128.3), 126.4, 125.8, 125.52 (125.47), 122.70 (122.68), 122.1 (122.0), 79.10 (79.07), 62.8 (d, $J = 6.2$ Hz) (62.7 (d, $J = 3.8$ Hz)), 62.4 (62.3), 33.5 (d, $J = 138.8$ Hz) (32.5 (d, $J = 138.4$ Hz)), 16.5 (d, $J = 5.1$ Hz) (16.4 (d, $J = 4.9$ Hz)), 12.7 (d, $J = 6.0$ Hz) (12.6 (d, $J = 6.3$ Hz)). ^{31}P NMR (162 MHz, CDCl_3) δ 24.94 (24.87). HRMS-ESI (m/z): calcd for $\text{C}_{19}\text{H}_{25}\text{NO}_4\text{P}^+$ $[\text{M}+\text{H}]^+$: 362.1516, found 362.1521.

Copies of ^1H , ^{13}C , and ^{31}P NMR spectra of products **3**

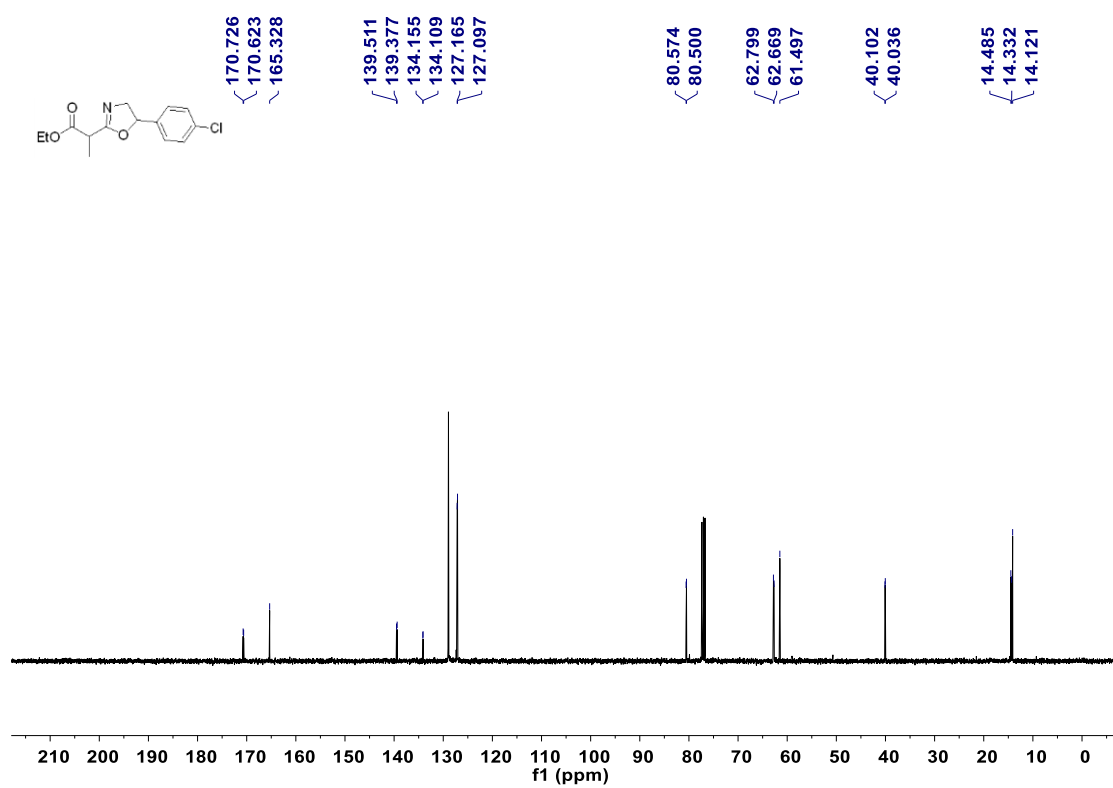
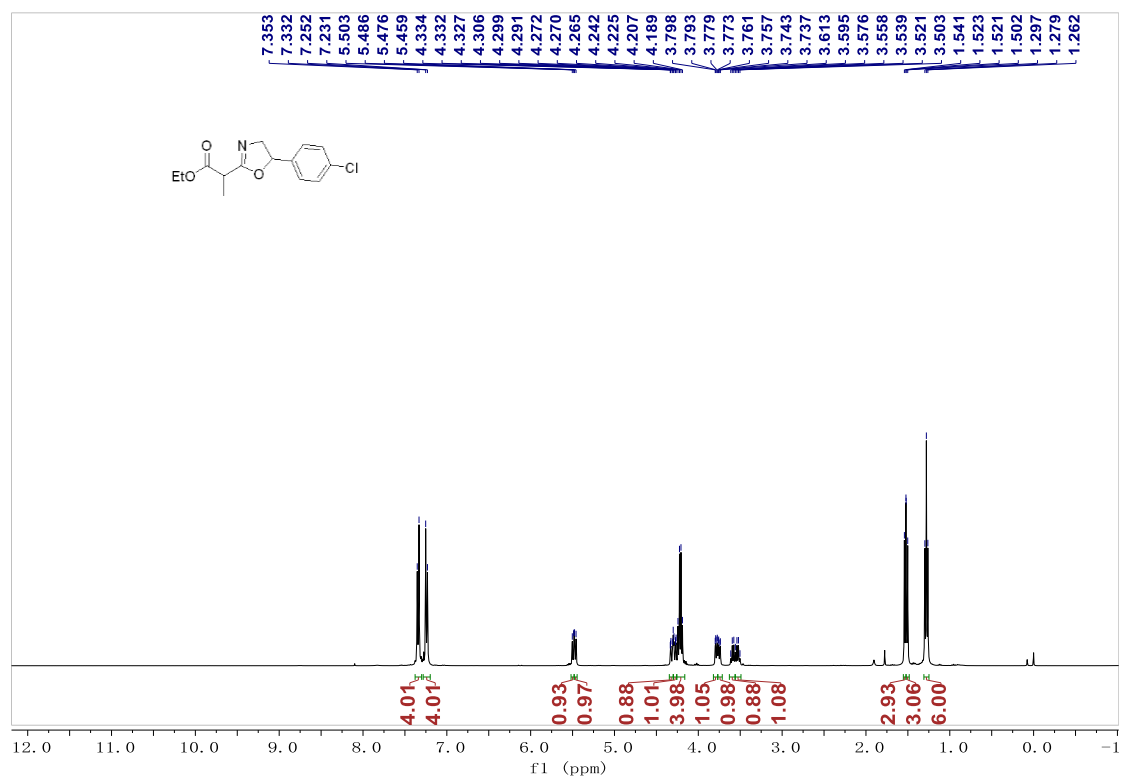
^1H and ^{13}C NMR of compound **3aa**



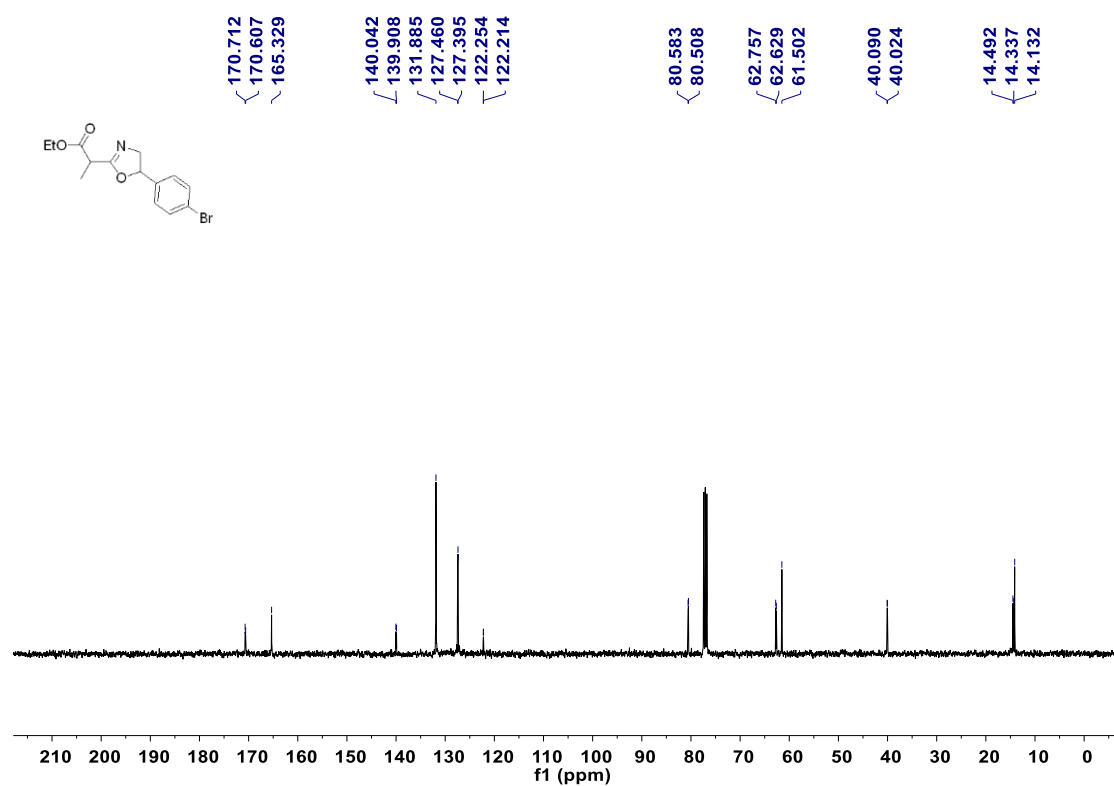
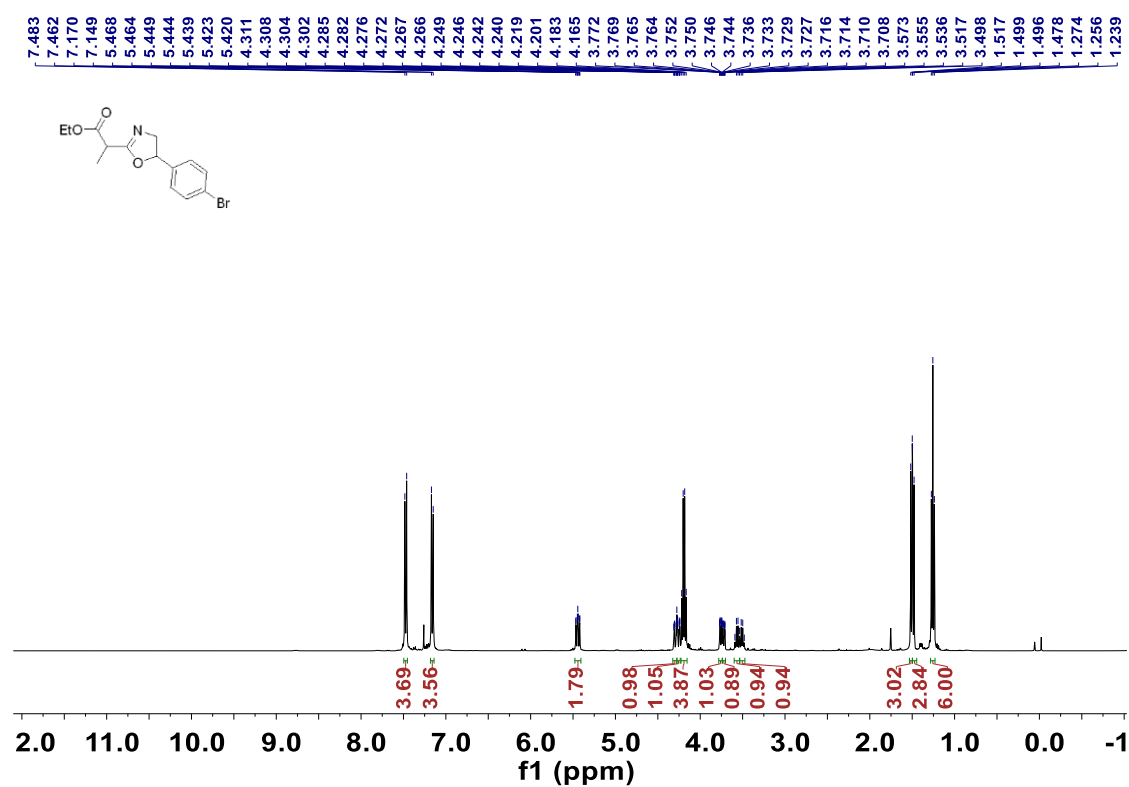
^1H and ^{13}C NMR of compound **3ab**



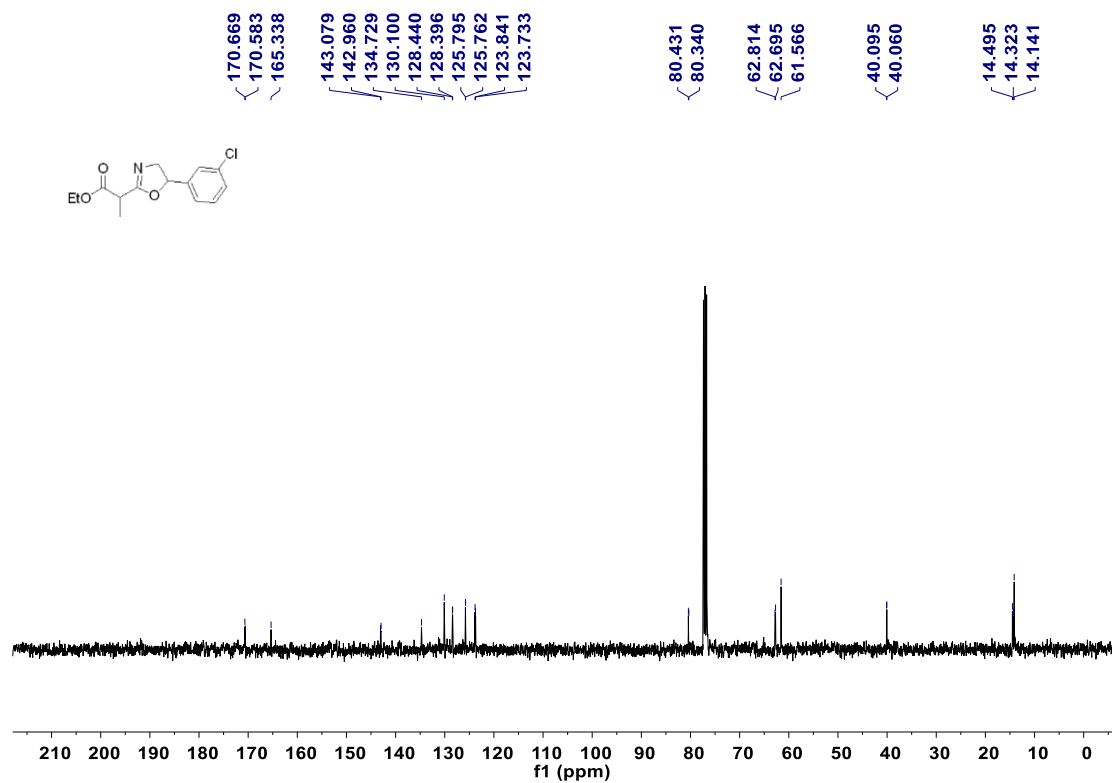
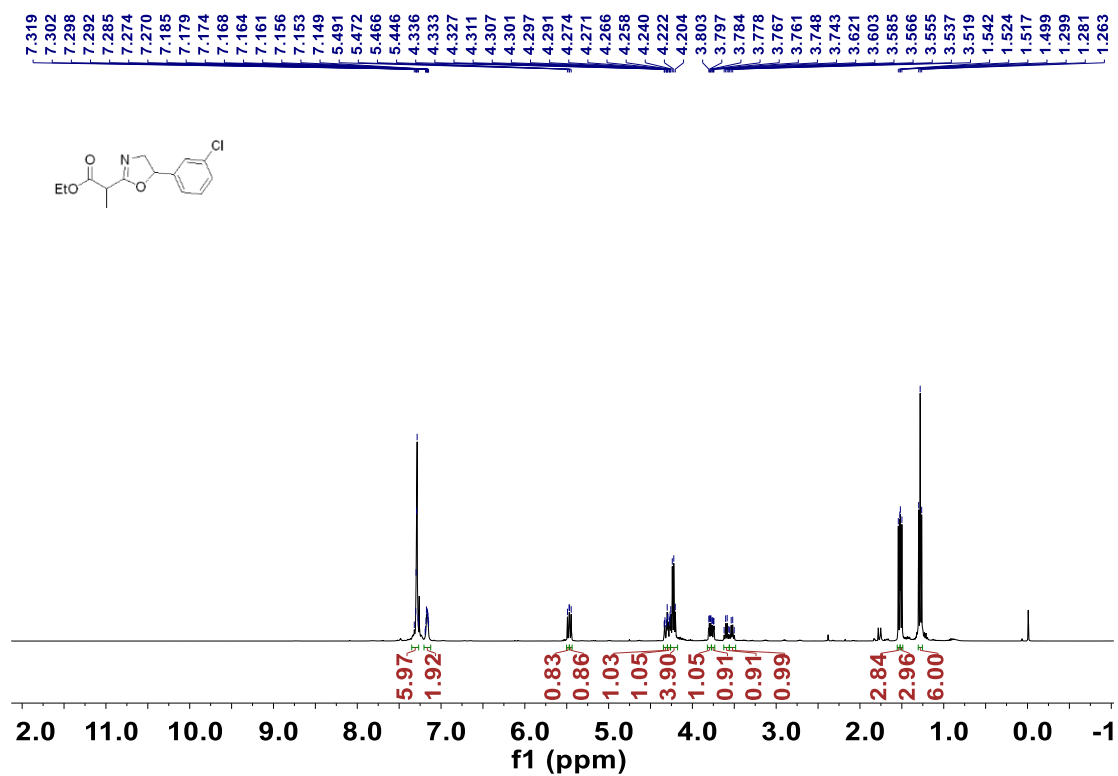
^1H and ^{13}C NMR of compound **3ac**



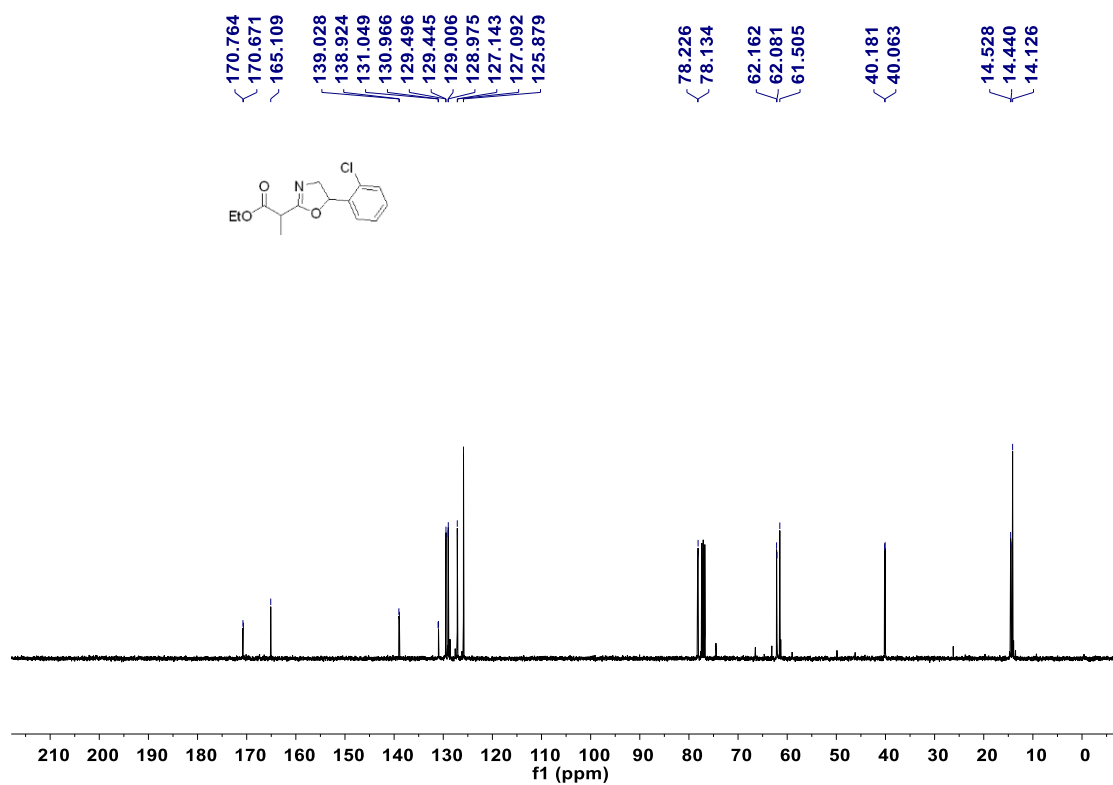
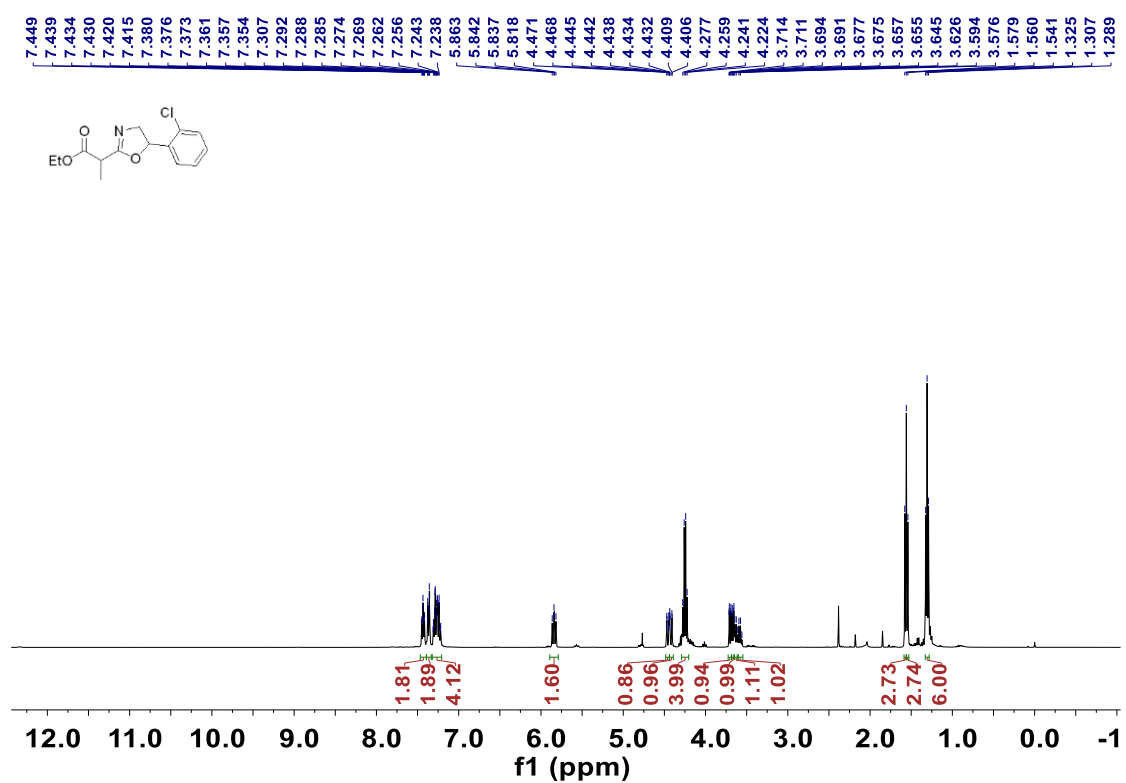
¹H and ¹³C NMR of compound **3ad**



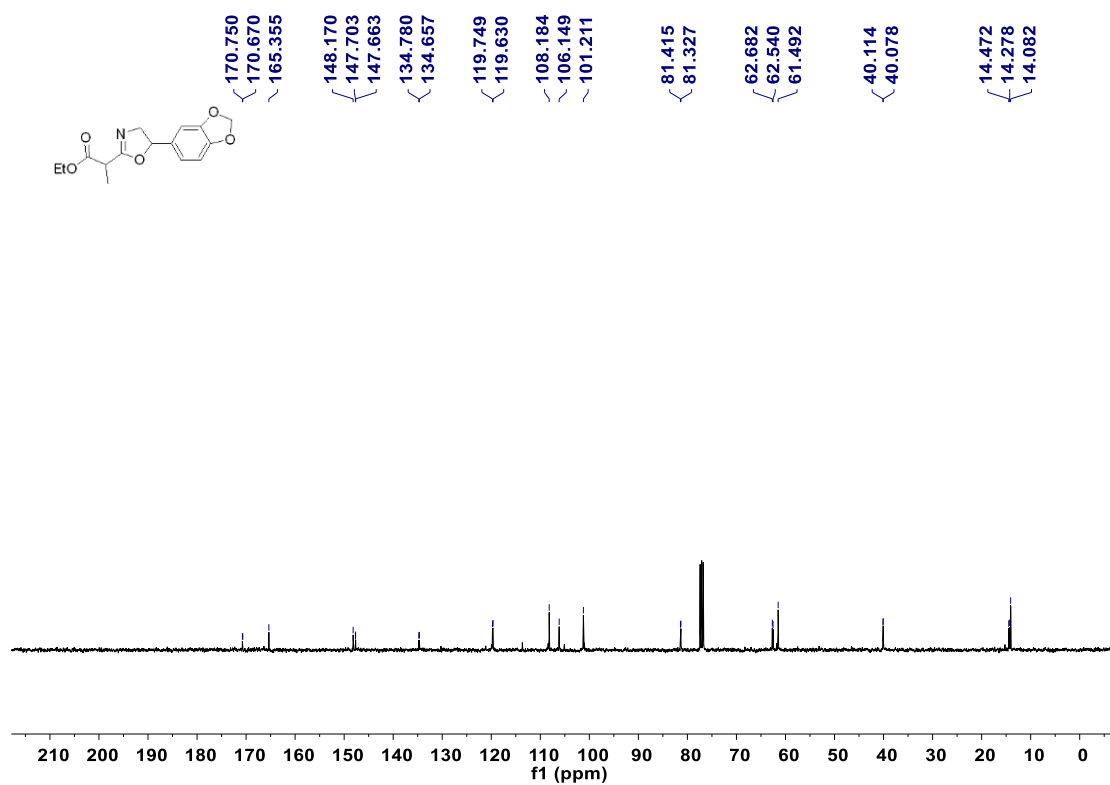
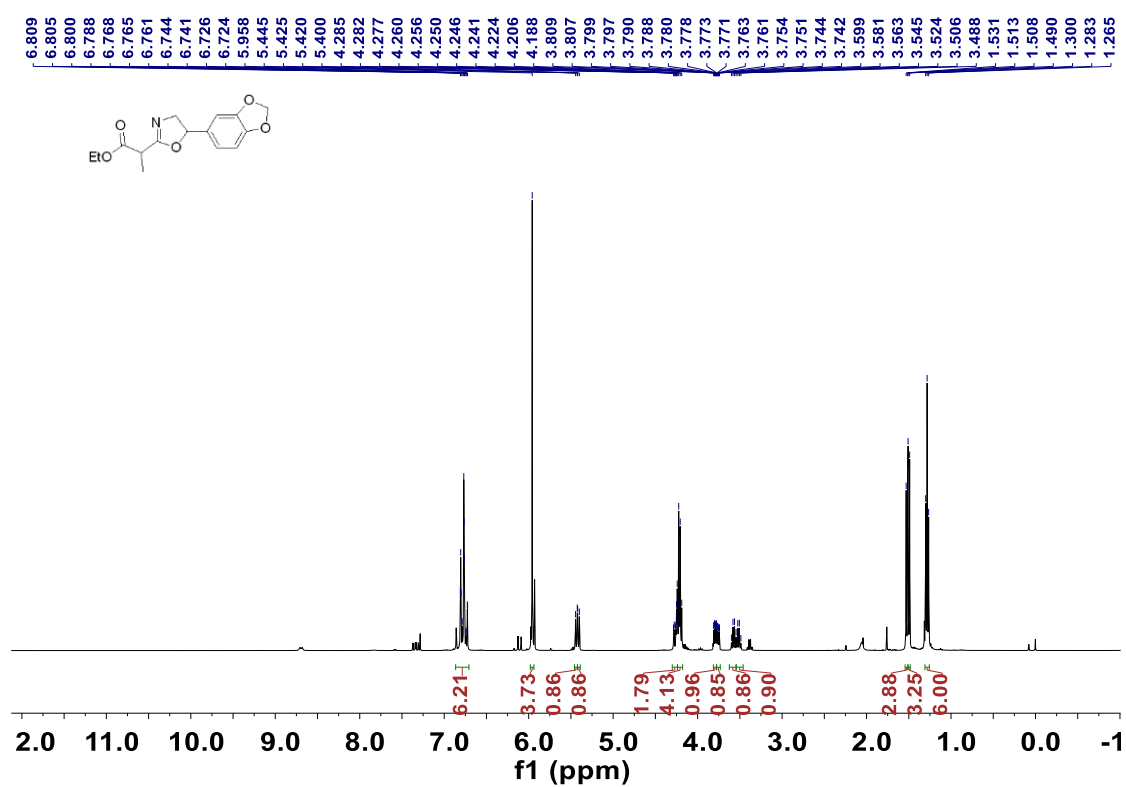
^1H and ^{13}C NMR of compound **3ae**



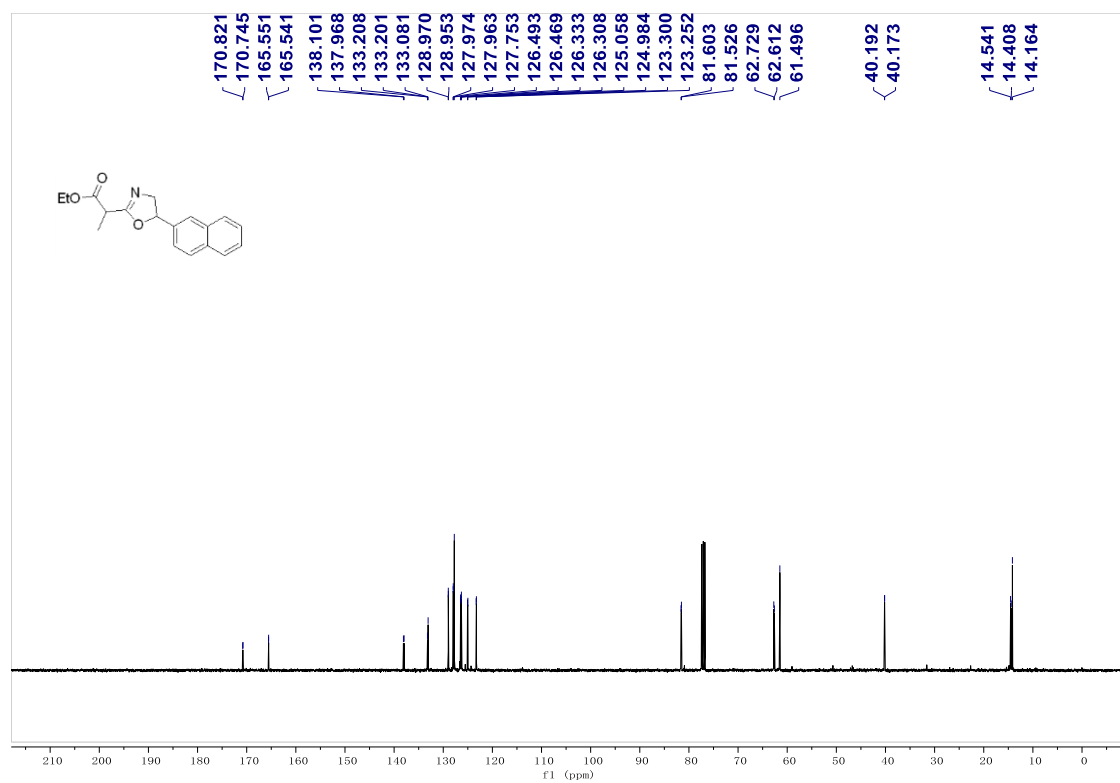
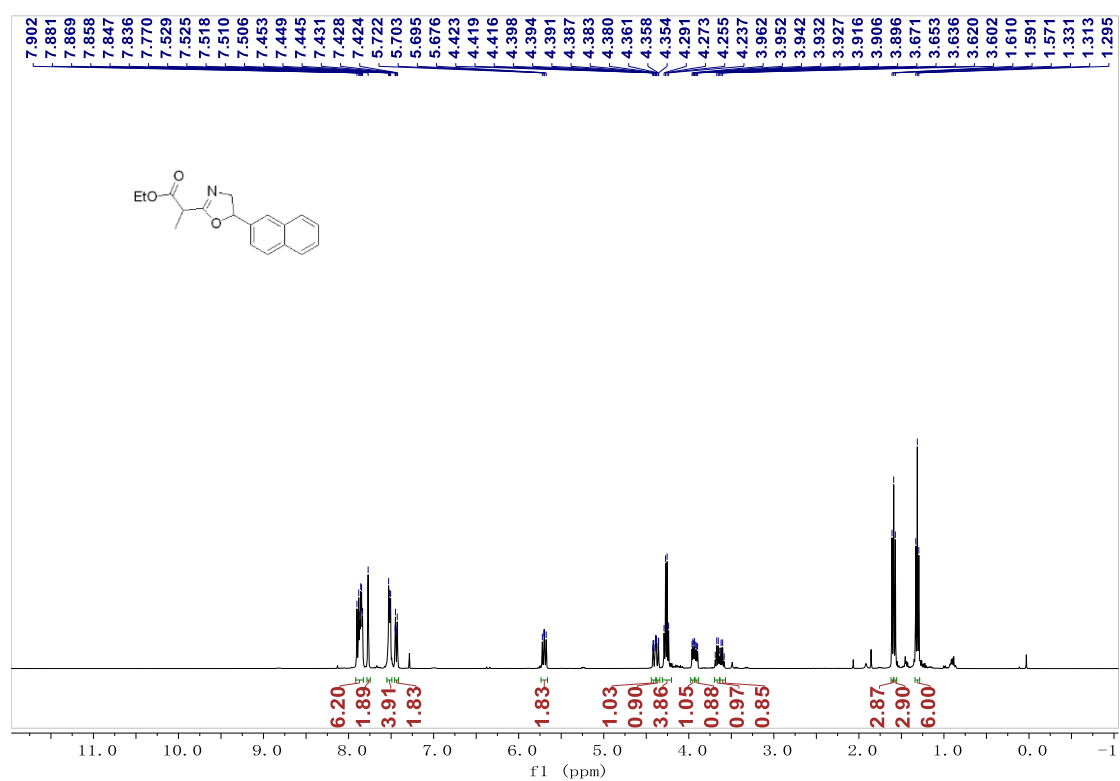
¹H and ¹³C NMR of compound **3af**



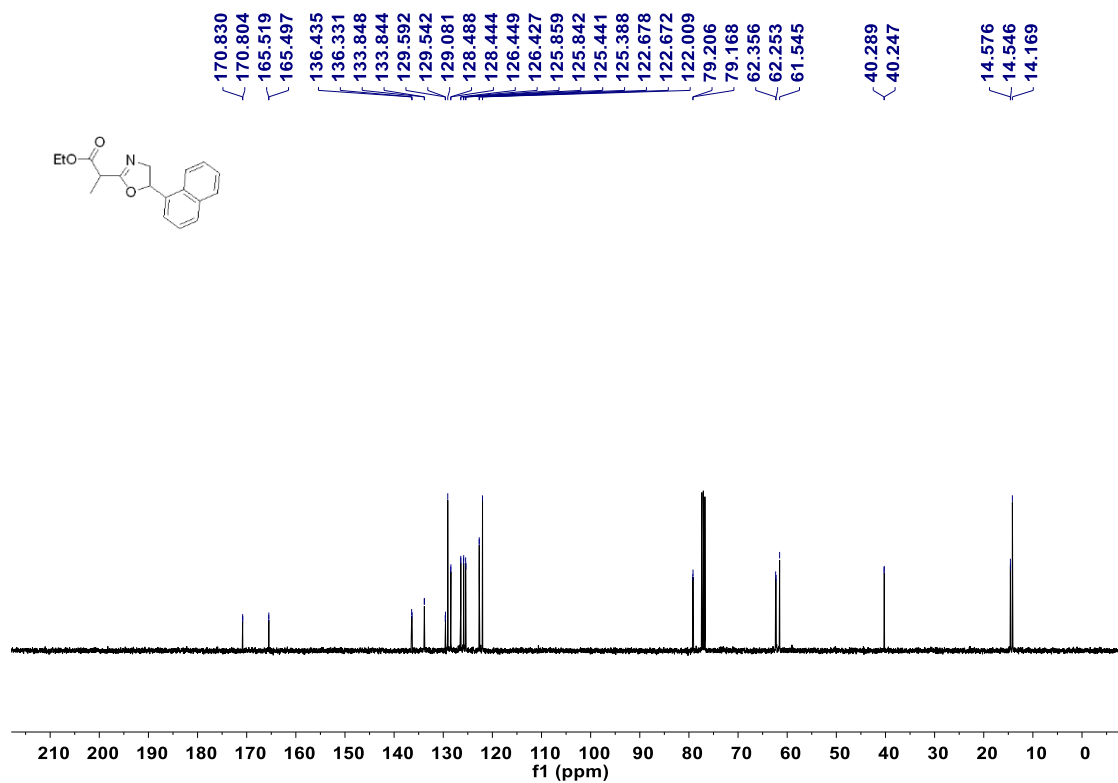
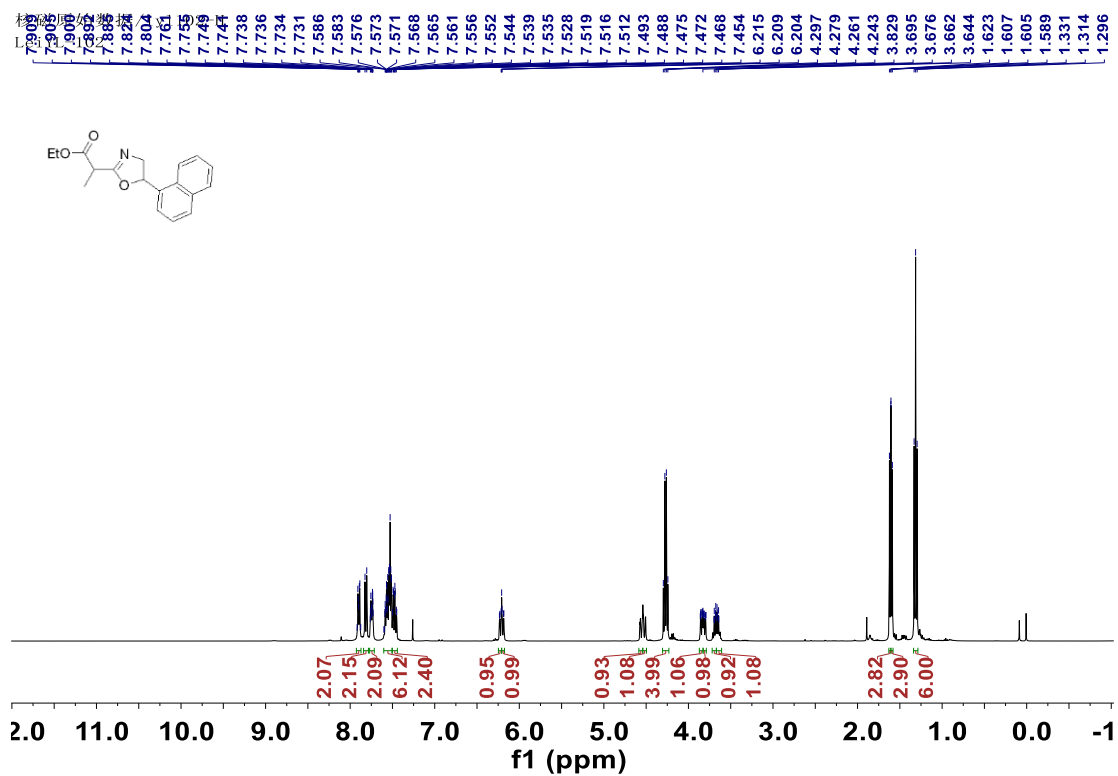
^1H and ^{13}C NMR of compound **3ag**



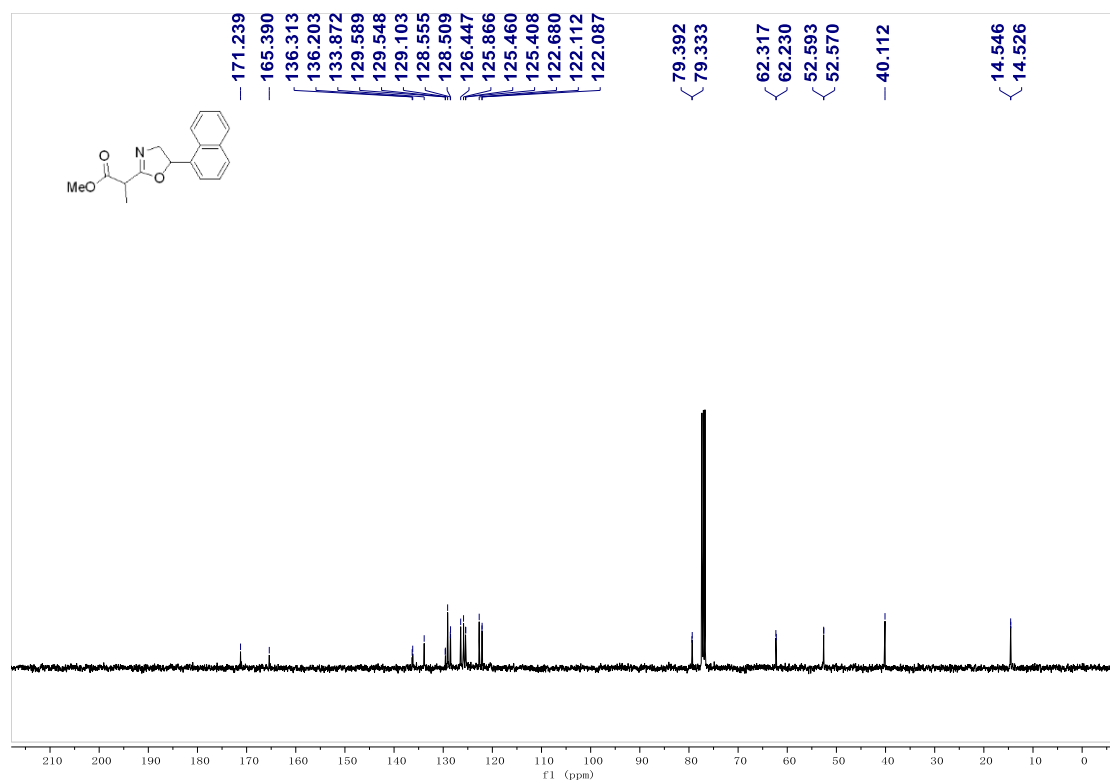
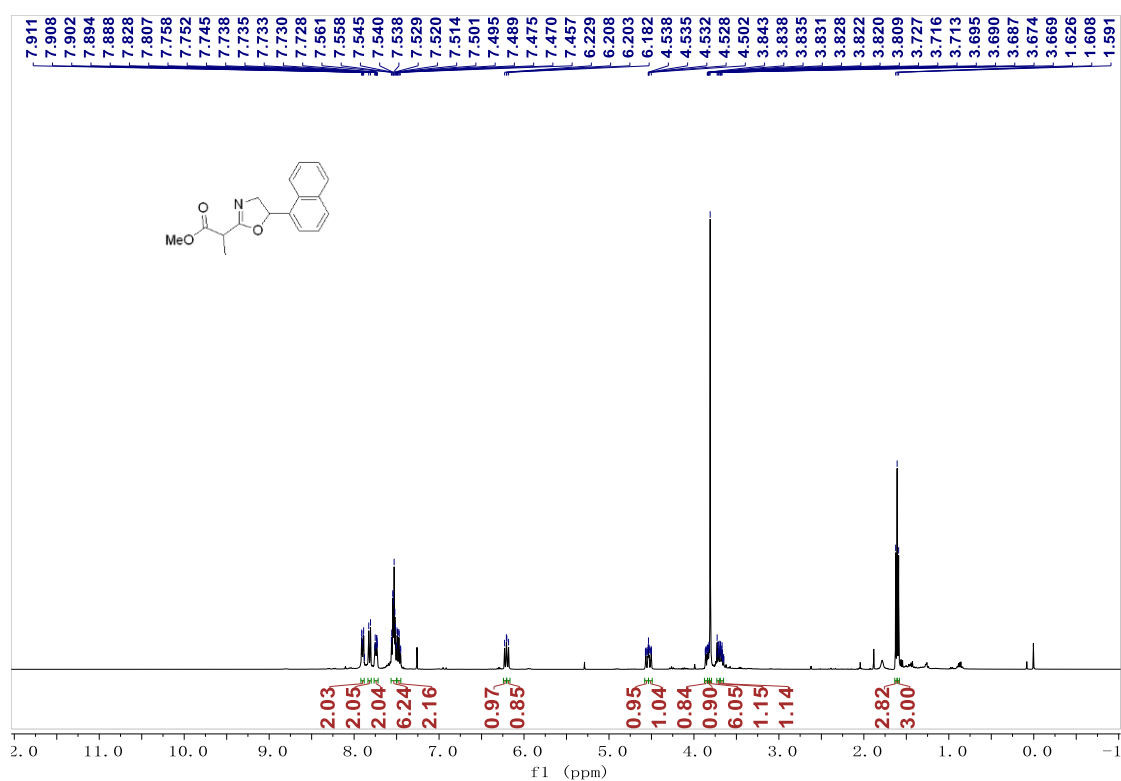
^1H and ^{13}C NMR of compound **3ah**



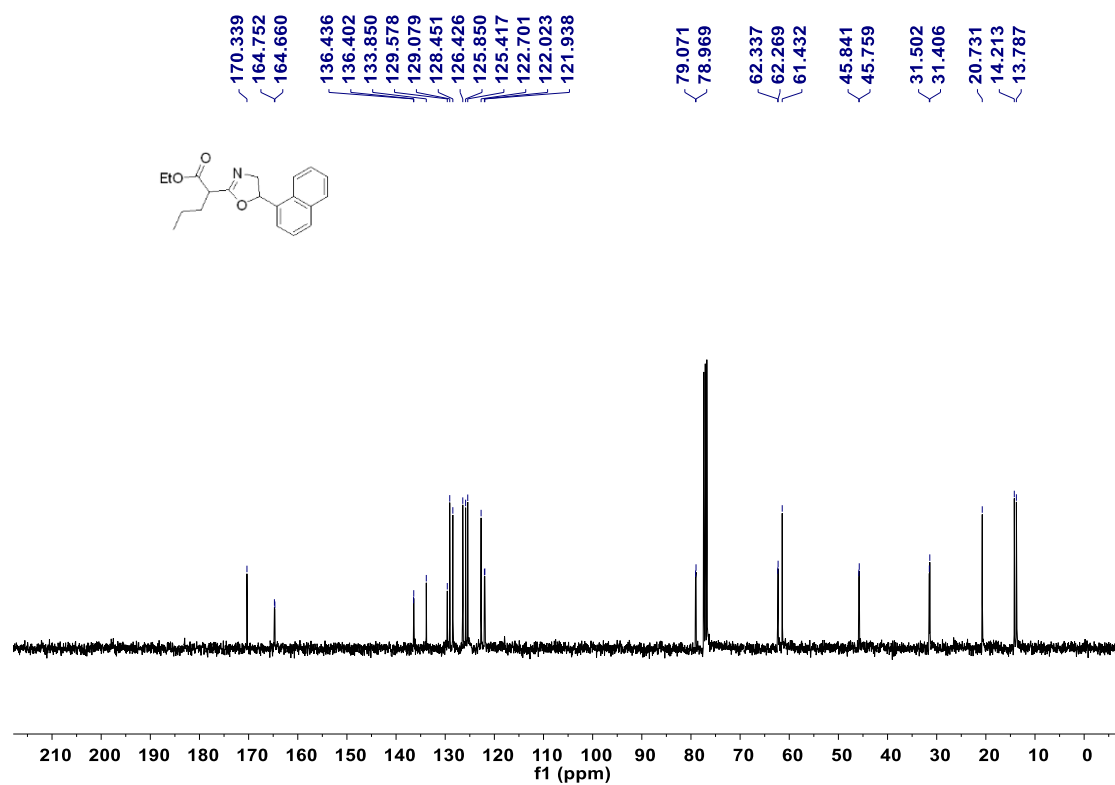
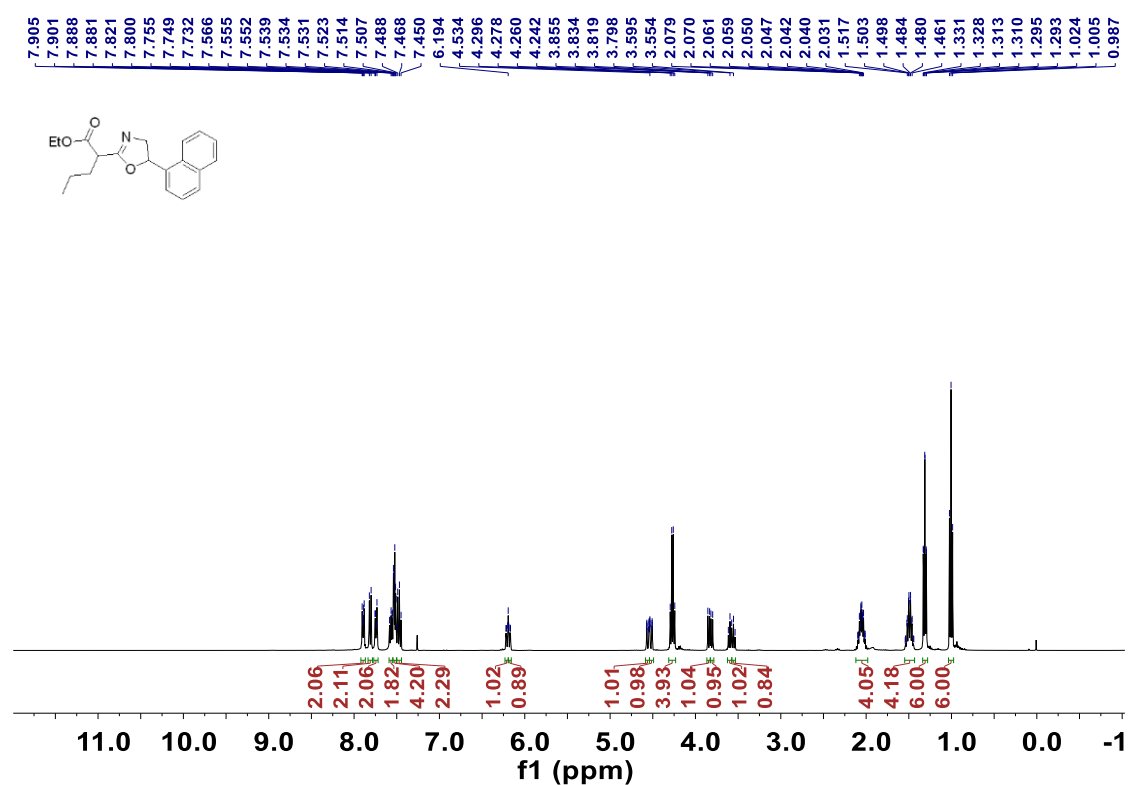
^1H and ^{13}C NMR of compound **3ai**



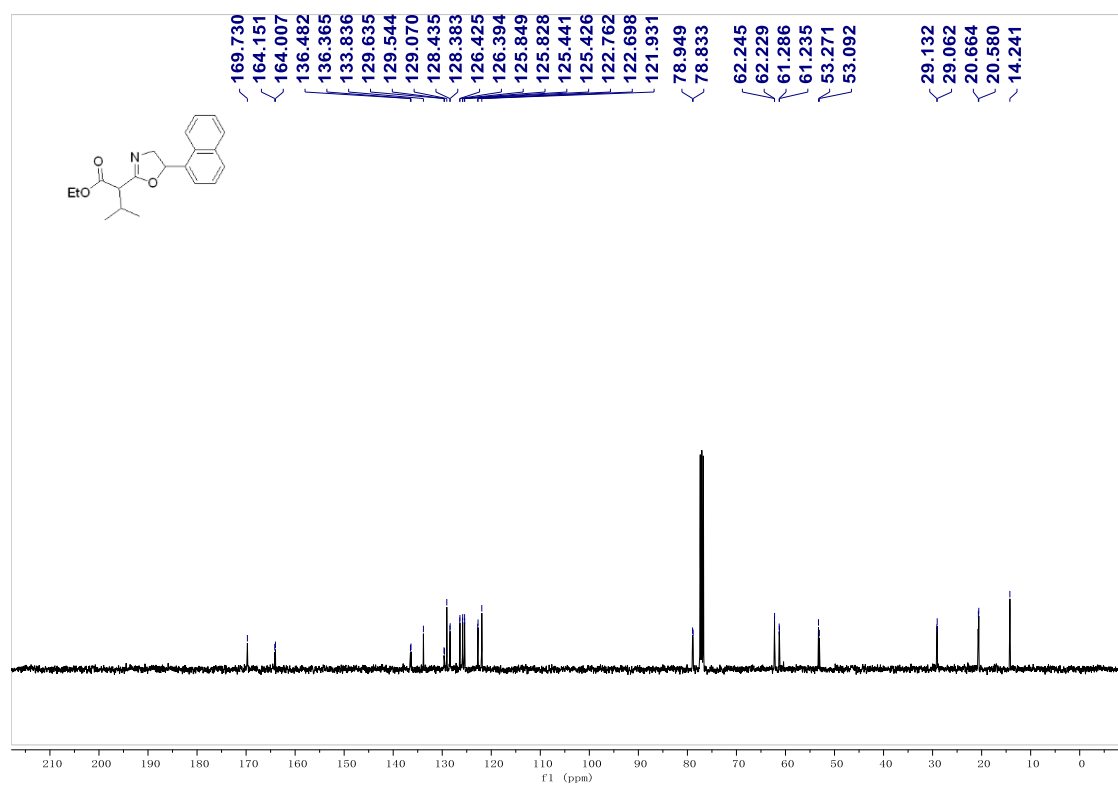
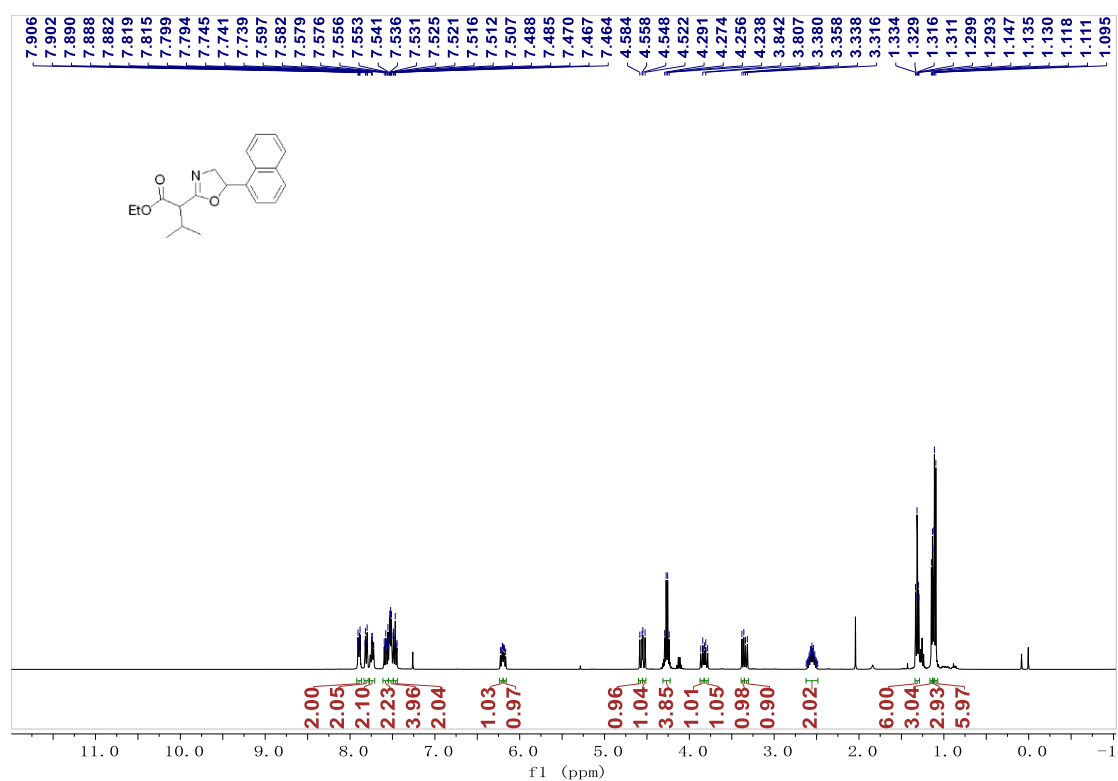
^1H and ^{13}C NMR of compound **3bi**



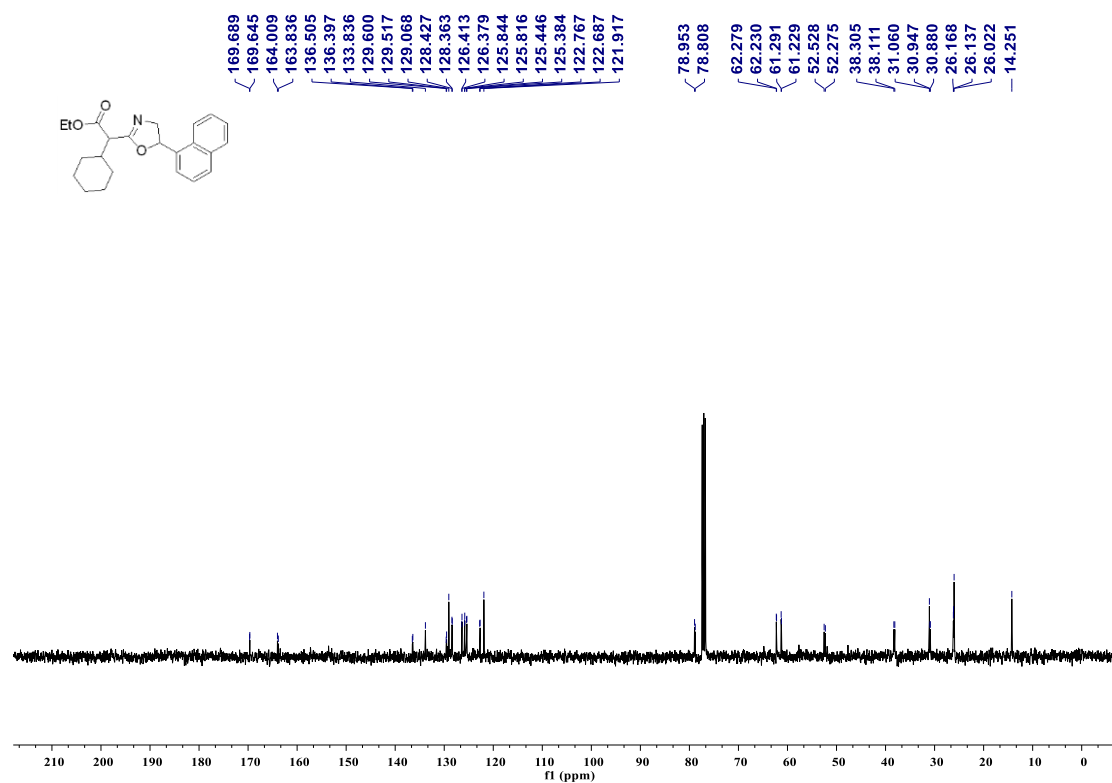
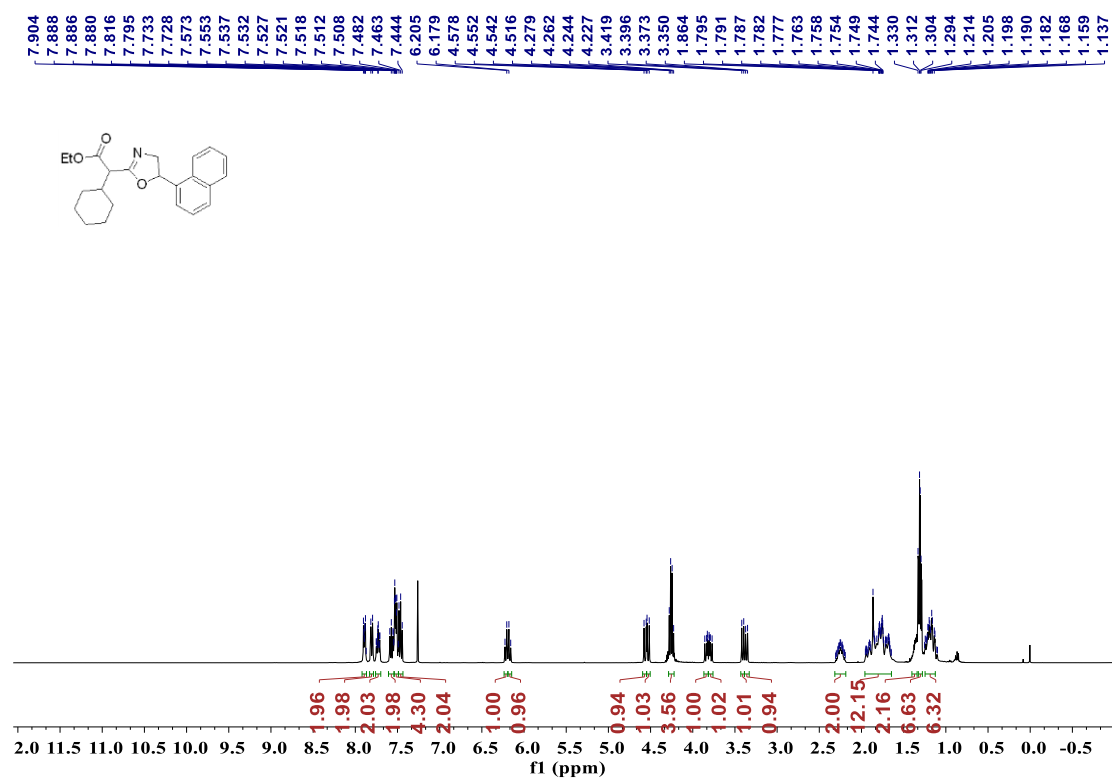
¹H and ¹³C NMR of compound **3ci**



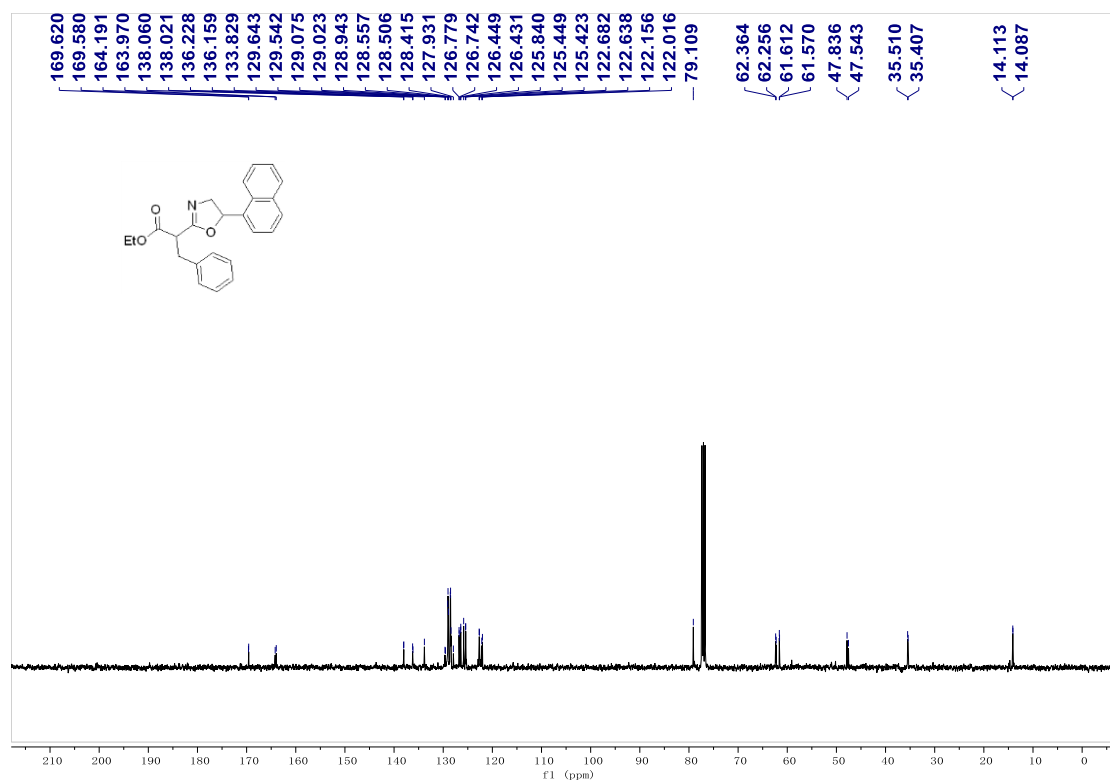
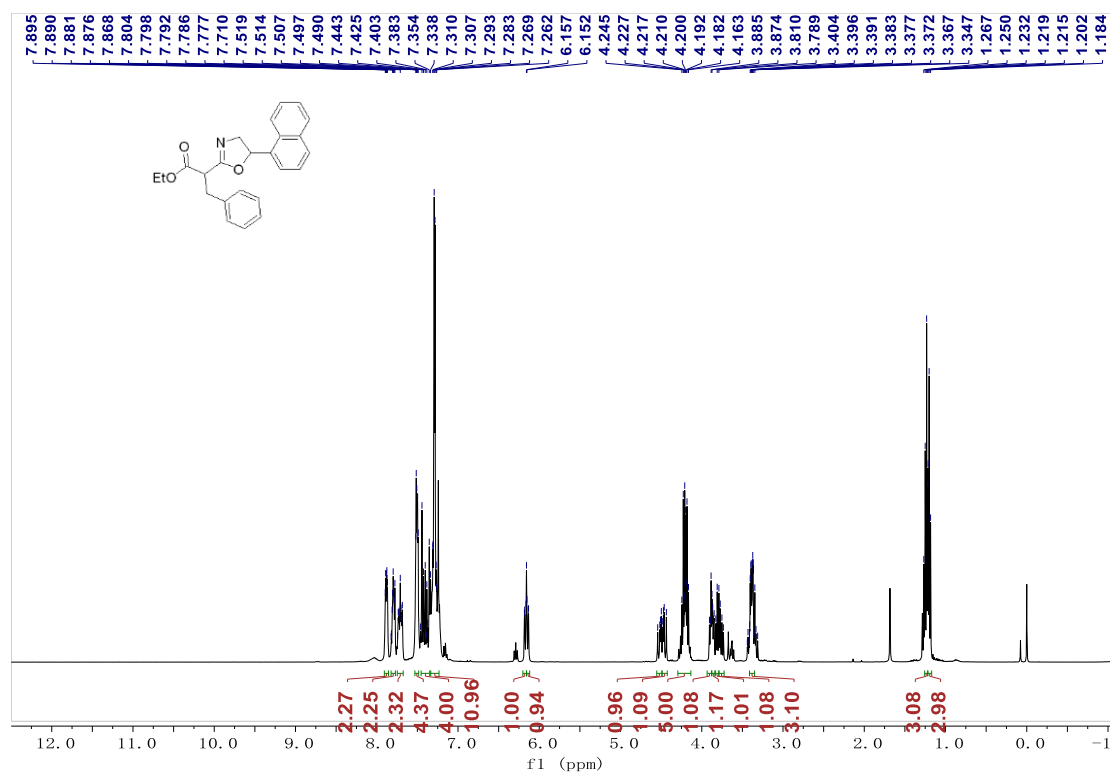
^1H and ^{13}C NMR of compound **3di**



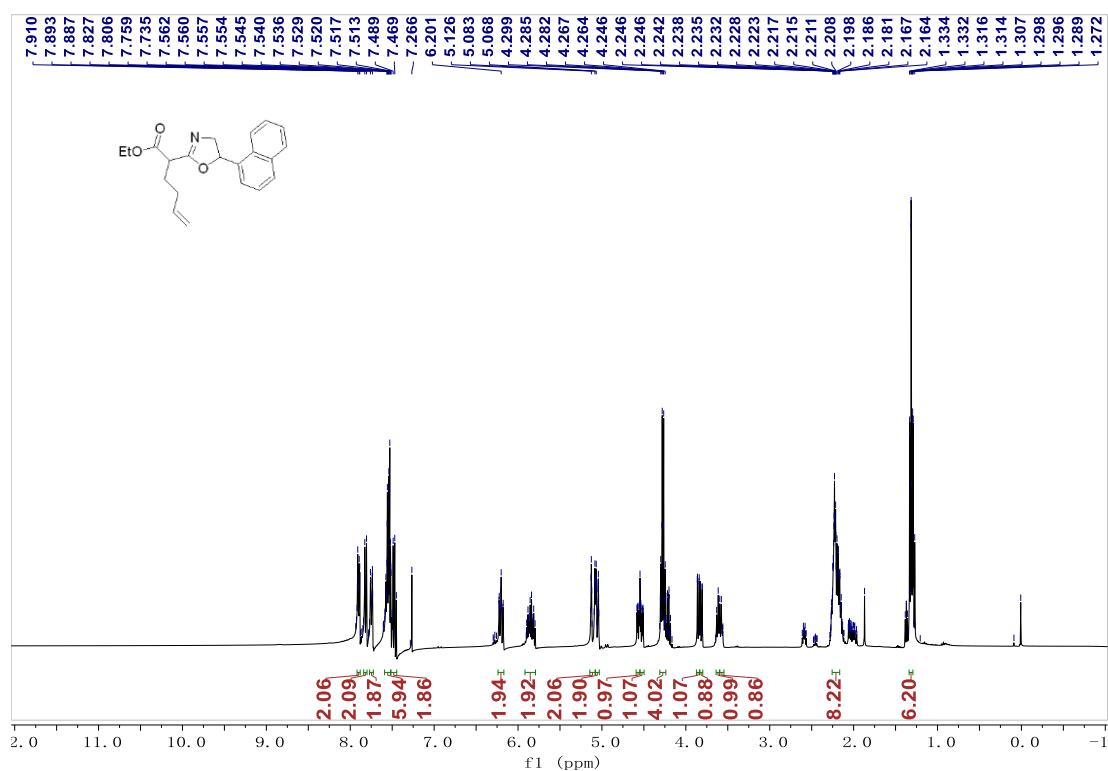
¹H and ¹³C NMR of compound **3ei**



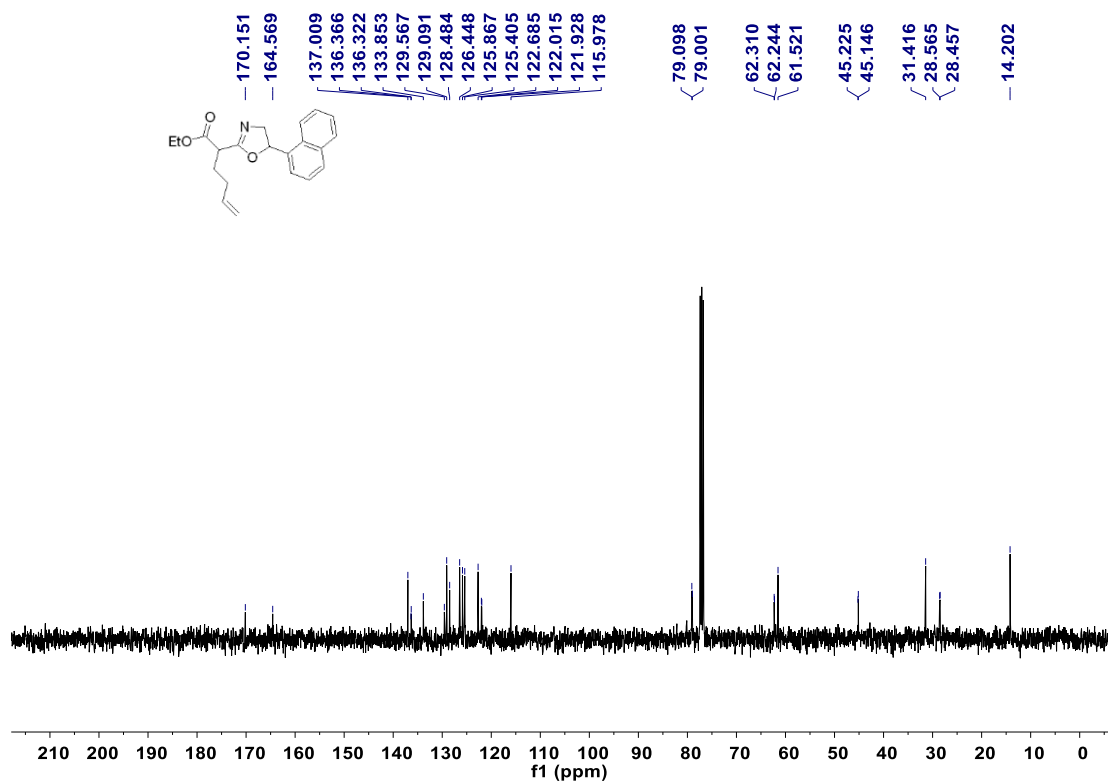
^1H and ^{13}C NMR of compound **3fi**



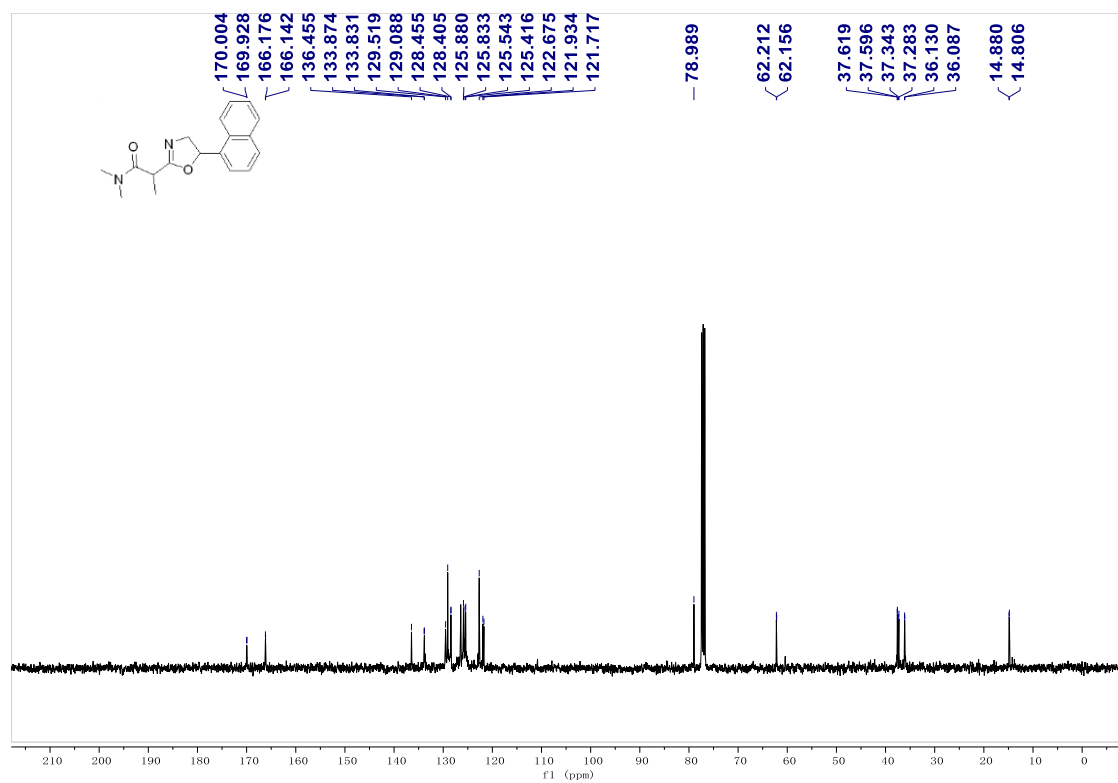
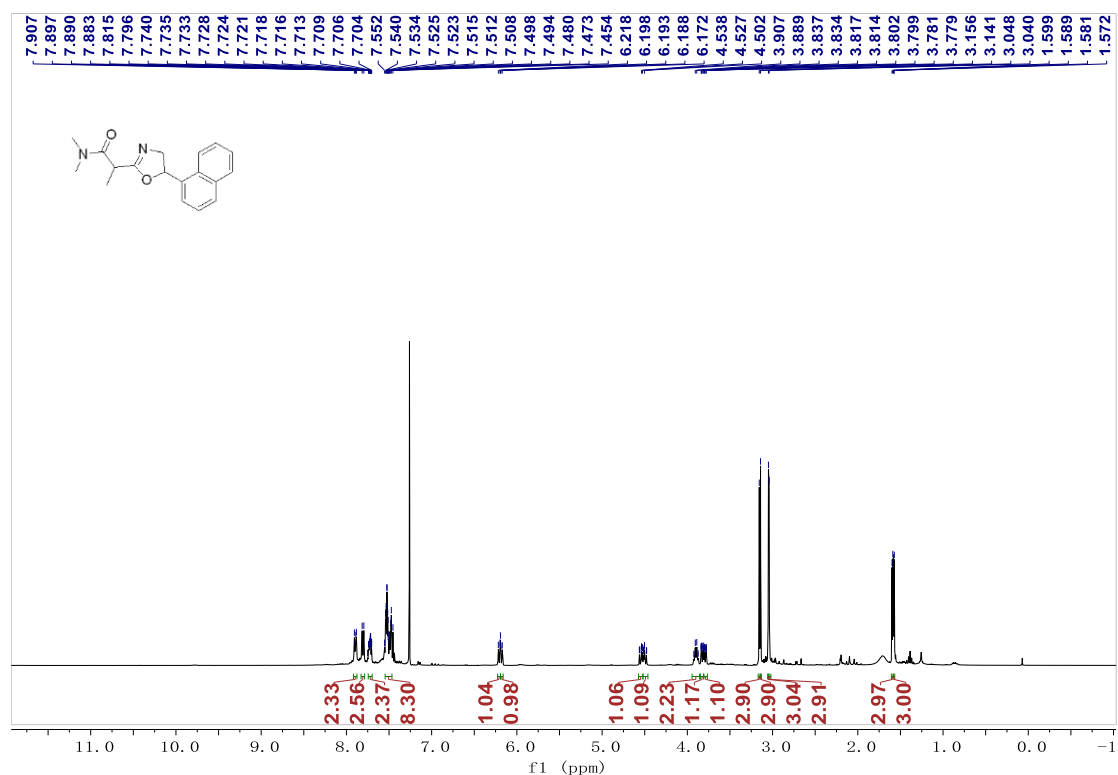
^1H and ^{13}C NMR of compound **3gi**



1



^1H and ^{13}C NMR of compound **3hi**



^1H , ^{31}P , and ^{13}C NMR of compound **3ii**

