Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

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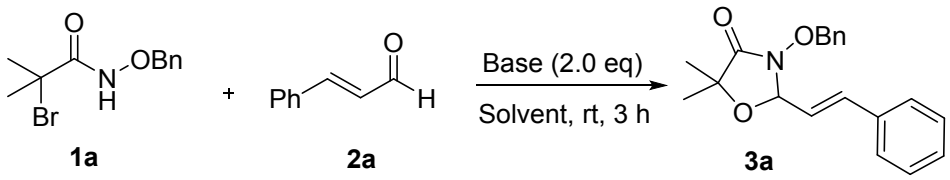
I: General Information

Chemicals and solvents were purchased from commercial suppliers and used as received. Proton nuclear magnetic resonance (^{1}H NMR) spectra and carbon nuclear magnetic resonance (^{13}C NMR) spectra were recorded on a Bruker ACF400 (400 MHz) spectrometer. Chemical shifts for protons are reported in parts per million downfield from tetramethylsilane and are referenced to residual protium in the NMR solvent ($CDCl_3$: δ 7.26). Chemical shifts for carbon are reported in parts per million downfield from tetramethylsilane and are referenced to the carbon resonances of the solvent ($CDCl_3$: δ 77.16). Data are represented as follows: chemical shift, integration, multiplicity (br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants in Hertz (Hz). All high resolution mass spectra were obtained on a Finnigan/MAT 95XL-T spectrometer. For thin layer chromatography (TLC), Merck pre-coated TLC plates (Merck 60 F254) were used, and compounds were visualized with a UV light at 254 nm. Flash chromatography separations were performed on Merck 60 (0.040-0.063 mm) mesh silica gel. The substrates **1** were synthesized following the reported method.[1]

II: Optimization of reaction conditions



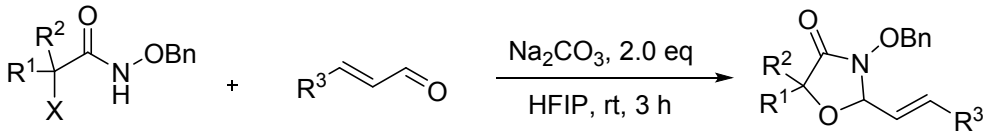
1a **2a** **3a**

Entry	Base	Solvent	Yield (%)[b]
1	K_2CO_3	HFIP	86
2	Cs_2CO_3	HFIP	80
3	Na_2CO_3	HFIP	94
4	NaOAc	HFIP	53
5	Et_3N	HFIP	27
6	DIPEA	HFIP	58
7	DMAP	HFIP	89
8	NaOH	HFIP	67
9	--	HFIP	--
10	Na_2CO_3	TFE	56

10	Na_2CO_3	Toluene	26
15	Na_2CO_3	Et_2O	32
16	Na_2CO_3	MeOH	--
17	Na_2CO_3	DMSO	--
18[c]	Na_2CO_3	HFIP	93
19[d]	**Na_2CO_3**	**HFIP**	**99**

[a] If not further mentioned, the reaction was carried out with **1** (0.1 mmol), **2** (0.12 mmol) in the presense of Base (0.2 mmol) in HFIP at room temperature for 3 h. [b] isolated yield. [c] **1**:**2** = 1: 1.2. [d] **1**:**2** = 1.5 : 1. HFIP = hexafluoroisopropanol. TFE = 2,2,2-trifluoroethanol.

III: General procedure

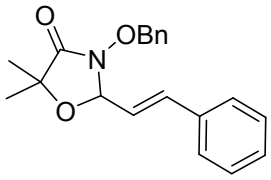


1 **2** **3/5**

To a solution of HFIP (1,1,1,3,3,3-Hexafluoro-2-propanol, 1 mL) were added **1** (0.15 mmol), unsaturated aldehydes **2** (0.1 mmol) and Na_2CO_3 (0.2 mmol, 21.2 mg). The reaction mixture was stirred at room temperature for 3 h. After completion of the reaction, the reaction mixture was filtered through a celite pad using CH_2Cl_2 and the filtrate was concentrated under reduced pressure. The crude residue was purified by silica gel flash chromatography using EtOAc/Hexanes as eluent to give pure product **3** or **5**.

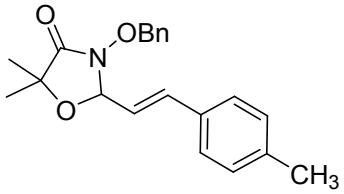
IV: Characterization of products

(E)-3-(Benzyloxy)-5,5-dimethyl-2-styryloxazolidin-4-one (3a)



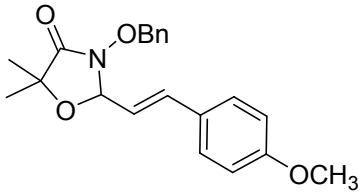
White solid, 31.9 mg, 99% yield (purification eluent: hexane/ethyl acetate = 5:1), ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.30 (m, 10H), 6.74 (d, J = 15.8 Hz, 1H), 5.92 (dd, J = 15.8, 7.9 Hz, 1H), 5.23 (d, J = 7.9 Hz, 1H), 5.11 (d, J = 10.6 Hz, 1H), 4.99 (d, J = 10.6 Hz, 1H), 1.51 (s, 3H), 1.41 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.51, 137.95, 135.14, 134.64, 129.93, 129.14, 128.94, 128.68, 128.53, 127.19, 124.46, 87.87, 78.44, 77.72, 25.54, 23.09. HRMS (ESI): exact mass calculated for $C_{20}H_{21}NNaO_3$ $(M+Na)^+$ required m/z 346.1419, found m/z 346.1415.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(4-methylstyryl)oxazolidin-4-one (3b)



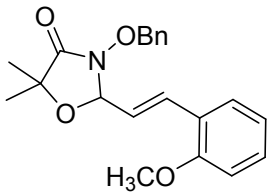
Light yellow solid, 33.3 mg, 99% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.28 (m, 8H), 7.19 (d, *J* = 7.8 Hz, 2H), 6.71 (d, *J* = 15.8 Hz, 1H), 5.88 (dd, *J* = 15.8, 7.9 Hz, 1H), 5.23 (d, *J* = 7.9 Hz, 1H), 5.11 (d, *J* = 10.5 Hz, 1H), 4.98 (d, *J* = 10.5 Hz, 1H), 2.39 (s, 3H), 1.50 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.50, 139.01, 137.96, 134.63, 132.37, 129.91, 129.38, 129.11, 128.63, 128.52, 127.13, 123.33, 88.04, 78.44, 77.68, 25.52, 23.04, 21.33. HRMS (ESI): exact mass calculated for $C_{21}H_{23}NNaO_3$ $(M+Na)^+$ required m/z 360.1576, found m/z 360.1575.

(E)-3-(Benzyloxy)-2-(4-methoxystyryl)-5,5-dimethyloxazolidin-4-one (3c)



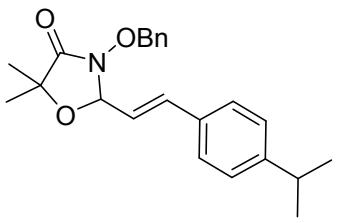
Light yellow solid, 34.9 mg, 99% yield (purification eluent: hexane/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.31 (m, 7H), 6.91 (d, J = 8.8 Hz, 2H), 6.68 (d, J = 15.8 Hz, 1H), 5.77 (dd, J = 15.8, 8.0 Hz, 1H), 5.21 (d, J = 8.0 Hz, 1H), 5.10 (d, J = 10.5 Hz, 1H), 4.98 (d, J = 10.5 Hz, 1H), 3.85 (s, 3H), 1.50 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.53, 160.22, 137.63, 134.65, 129.95, 129.11, 128.65, 128.58, 128.52, 127.85, 121.97, 114.05, 88.18, 78.43, 77.65, 55.35, 25.53, 23.02. HRMS (ESI): exact mass calculated for $C_{21}H_{23}NNaO_4$ $(M+Na)^+$ required m/z 376.1525, found m/z 376.1520.

(E)-3-(Benzyloxy)-2-(2-methoxystyryl)-5,5-dimethyloxazolidin-4-one (3d)



White solid, 34.5 mg, 98% yield (purification eluent: hexane/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.38 (m, 3H), 7.35 – 7.30 (m, 4H), 7.12 (d, J = 16.0 Hz, 1H), 7.02 – 6.85 (m, 2H), 6.01 (dd, J = 16.0, 8.1 Hz, 1H), 5.26 (d, J = 7.7 Hz, 1H), 5.11 (d, J = 10.5 Hz, 1H), 4.99 (d, J = 10.5 Hz, 1H), 3.89 (s, 3H), 1.51 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.50, 157.25, 134.65, 133.21, 130.03, 129.90, 129.04, 128.46, 127.81, 124.93, 124.18, 120.60, 110.92, 88.39, 78.43, 77.64, 55.45, 25.50, 23.03. HRMS (ESI): exact mass calculated for $C_{21}H_{23}NNaO_4$ $(M+Na)^+$ required m/z 376.1525, found m/z 376.1523.

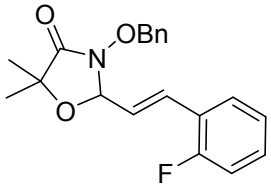
(E)-3-(Benzyloxy)-2-(4-isopropylstyryl)-5,5-dimethyloxazolidin-4-one (3e)



White solid, 31.0 mg, 85% yield (purification eluent: hexane/ ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.38 (m, 2H), 7.36

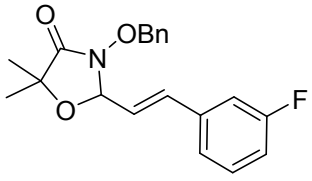
-7.34 (m, 5H), 7.25 (d, J = 8.0 Hz, 2H), 6.72 (d, J = 15.8 Hz, 1H), 5.90 (dd, J = 15.8, 8.0 Hz, 1H), 5.23 (d, J = 7.9 Hz, 1H), 5.10 (d, J = 10.5 Hz, 1H), 4.98 (d, J = 10.5 Hz, 1H), 2.99 – 2.92 (m, 1H), 1.51 (s, 3H), 1.41 (s, 3H), 1.29 (d, J = 6.9 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.49, 149.98, 137.98, 134.63, 132.77, 129.91, 129.12, 128.53, 127.23, 126.77, 123.46, 88.05, 78.46, 77.68, 33.98, 25.53, 23.91, 23.07. HRMS (ESI): exact mass calculated for $C_{23}H_{27}NNaO_3$ $(M+Na)^+$ required m/z 388.1889, found m/z 388.1882.

(E)-3-(Benzyloxy)-2-(2-fluorostyryl)-5,5-dimethyloxazolidin-4-one (3f)



White solid, 32.7 mg, 96% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.38 (m, 3H), 7.38 – 7.26 (m, 4H), 7.20 – 7.05 (m, 2H), 6.88 (d, J = 16.0 Hz, 1H), 6.00 (dd, J = 16.0, 7.9 Hz, 1H), 5.20 (d, J = 7.9 Hz, 1H), 5.12 (d, J = 10.6 Hz, 1H), 4.99 (d, J = 10.6 Hz, 1H), 1.50 (s, 3H), 1.41 (s, 3H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -116.94. ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.43, δ 160.55 (d, J = 251.2 Hz), 134.63, 130.40, 130.36, 130.32, 129.96, 129.18, 128.54, 128.15 (d, J = 3.3 Hz), 127.03 (d, J = 5.1 Hz), 124.23 (d, J = 3.6 Hz), 123.03 (d, J = 12.1 Hz), 115.91 (d, J = 21.9 Hz), 87.91, 78.41, 77.80, 25.52, 23.15. HRMS (ESI): exact mass calculated for $C_{20}H_{20}FNNaO_3$ $(M+Na)^+$ required m/z 364.1325, found m/z 364.1323.

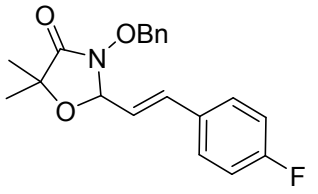
(E)-3-(Benzyloxy)-2-(3-fluorostyryl)-5,5-dimethyloxazolidin-4-one (3g)



Light yellow solid, 32.7 mg, 96% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 – 7.31 (m, 6H), 7.14 (d, J = 7.8 Hz, 1H), 7.09 – 7.00 (m, 2H), 6.66 (d, J = 15.8 Hz, 1H), 5.84 (dd, J = 15.8,

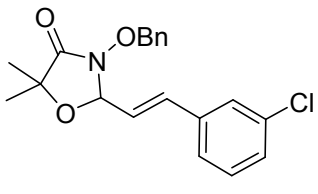
7.8 Hz, 1H), 5.19 (d, J = 7.8 Hz, 1H), 5.10 (d, J = 10.8 Hz, 1H), 4.99 (d, J = 10.8 Hz, 1H), 1.50 (s, 3H), 1.40 (s, 3H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -113.07. ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.57, δ 162.98 (d, J = 246.1 Hz), 137.39 (d, J = 7.7 Hz), 136.51, 136.48, 134.65, 130.17 (d, J = 8.4 Hz), 129.98, 129.20, 128.55, 125.91, 123.13, 123.10, 115.76 (d, J = 21.3 Hz), 113.58 (d, J = 22.0 Hz), 87.50, 78.38, 77.81, 25.53, 23.09. HRMS (ESI): exact mass calculated for $C_{20}H_{20}FNNaO_3$ $(M+Na)^+$ required m/z 364.1325, found m/z 364.1321.

(E)-3-(Benzyloxy)-2-(4-fluorostyryl)-5,5-dimethyloxazolidin-4-one (3h)



White solid, 33.4 mg, 98% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.29 (m, 7H), 7.06 (t, J = 8.5 Hz, 2H), 6.67 (d, J = 15.9 Hz, 1H), 5.78 (dd, J = 15.9, 7.9 Hz, 1H), 5.19 (d, J = 8.0 Hz, 1H), 5.10 (d, J = 10.8 Hz, 1H), 4.98 (d, J = 10.8 Hz, 1H), 1.50 (s, 3H), 1.40 (s, 3H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -112.09. ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.53, δ 163.05 (d, J = 248.9 Hz), 136.62, 134.68, 131.32 (d, J = 3.3 Hz), 129.95, 129.14, 128.90, 128.81, 128.52, 124.21 (d, J = 2.3 Hz), 115.68 (d, J = 21.8 Hz), 87.76, 78.37, 77.73, 25.52, 23.06. HRMS (ESI): exact mass calculated for $C_{20}H_{20}FNNaO_3$ $(M+Na)^+$ required m/z 364.1325, found m/z 364.1325.

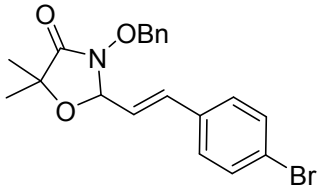
(E)-3-(Benzyloxy)-2-(3-chlorostyryl)-5,5-dimethyloxazolidin-4-one (3i)



White solid, 31.7 mg, 89% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 – 7.30 (m, 8H), 7.23 (d, J = 5.4 Hz, 1H), 6.63 (d, J = 15.9 Hz, 1H), 5.80 (dd, J = 15.9, 7.8 Hz, 1H), 5.18 (d, J = 7.9 Hz, 1H), 5.10 (d, J = 10.8 Hz, 1H), 5.00 (d, J = 10.8 Hz, 1H), 1.50 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.63, 136.92, 136.23, 134.67, 134.64,

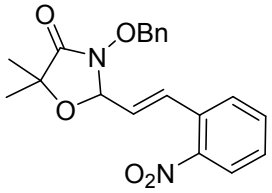
130.02, 129.89, 129.22, 128.83, 128.56, 126.96, 126.00, 125.46, 87.49, 78.34, 77.81, 25.54, 23.08. HRMS (ESI): exact mass calculated for $C_{20}H_{20}ClNNaO_3$ $(M+Na)^+$ required m/z 380.1029, found m/z 380.1035.

(E)-3-(Benzyloxy)-2-(4-bromostyryl)-5,5-dimethyloxazolidin-4-one (3j)



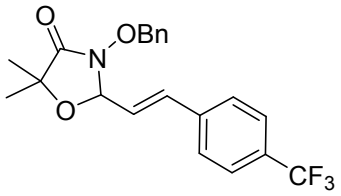
Light yellow solid, 36.4 mg, 91% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, J = 8.1 Hz, 2H), 7.38 – 7.32 (m, 5H), 7.24 (d, J = 8.1 Hz, 2H), 6.64 (d, J = 15.8 Hz, 1H), 5.84 (dd, J = 15.8, 7.9 Hz, 1H), 5.19 (d, J = 7.8 Hz, 1H), 5.10 (d, J = 10.8 Hz, 1H), 4.98 (d, J = 10.8 Hz, 1H), 1.49 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.55, 136.53, 134.65, 134.03, 131.83, 129.96, 129.18, 128.65, 128.54, 125.23, 122.92, 87.63, 78.38, 77.78, 25.53, 23.08. HRMS (ESI): exact mass calculated for $C_{20}H_{20}BrNNaO_3$ $(M+Na)^+$ required m/z 424.0524, found m/z 424.0526.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-nitrostyryl)oxazolidin-4-one (3k)



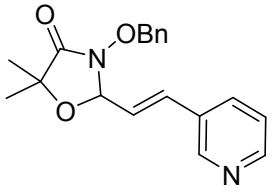
Light yellow solid, 35.6 mg, 97% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (dd, J = 8.1, 1.2 Hz, 1H), 7.62 – 7.60 (m, 1H), 7.54 – 7.48 (m, 2H), 7.44 – 7.40 (m, 2H), 7.36 – 7.30 (m, 3H), 7.22 (d, J = 15.6 Hz, 1H), 5.83 (dd, J = 15.6, 7.7 Hz, 1H), 5.16 (d, J = 7.7 Hz, 1H), 5.11 (d, J = 10.8 Hz, 1H), 5.02 (d, J = 10.8 Hz, 1H), 1.49 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.09, 147.78, 134.63, 133.35, 133.05, 131.10, 130.12, 129.79, 129.34, 129.23, 129.20, 128.63, 128.59, 124.74, 87.01, 78.19, 77.94, 25.51, 23.35. HRMS (ESI): exact mass calculated for $C_{20}H_{20}N_2NaO_5$ $(M+Na)^+$ required m/z 391.1270, found m/z 391.1265.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(4-(trifluoromethyl)styryl)oxazolidin-4-one (3l)



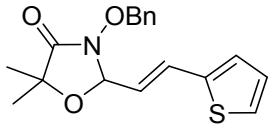
Colorless oil, 38.7 mg, 99% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, J = 8.1 Hz, 2H), 7.47 (d, J = 8.1 Hz, 2H), 7.42 – 7.30 (m, 5H), 6.72 (d, J = 15.8 Hz, 1H), 5.93 (dd, J = 15.8, 7.7 Hz, 1H), 5.21 (d, J = 7.7 Hz, 1H), 5.11 (d, J = 10.8 Hz, 1H), 4.99 (d, J = 10.8 Hz, 1H), 1.51 (s, 3H), 1.41 (s, 3H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.62. ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.59, 138.51, 136.14, 134.64, 130.79 (q, J = 32.5 Hz) 129.99, 129.23, 128.56, 127.35, 127.13, δ 125.63 (q, J = 3.8 Hz), 125.34, 122.63, 87.38, 78.39, 77.89, 25.53, 23.12. HRMS (ESI): exact mass calculated for $C_{21}H_{20}F_3NNaO_3$ $(M+Na)^+$ required m/z 414.1293, found m/z 414.1288.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-(pyridin-3-yl)vinyl)oxazolidin-4-one (3m)



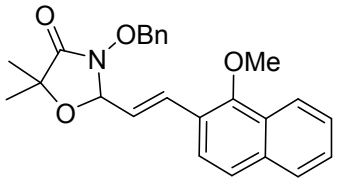
White solid, 31.4 mg, 97% yield (purification eluent: hexane/ethyl acetate = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 – 8.51 (m, 2H), 7.66 (d, J = 8.0 Hz, 1H), 7.40 – 7.24 (m, 6H), 6.65 (d, J = 15.9 Hz, 1H), 5.86 (dd, J = 15.9, 7.7 Hz, 1H), 5.18 (d, J = 7.8 Hz, 1H), 5.09 (d, J = 10.9 Hz, 1H), 4.98 (d, J = 10.9 Hz, 1H), 1.49 (s, 3H), 1.39 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.61, 149.87, 148.87, 134.67, 134.06, 133.55, 130.77, 130.03, 129.23, 128.55, 126.75, 123.51, 87.41, 78.33, 77.87, 25.52, 23.10. HRMS (ESI): exact mass calculated for $C_{19}H_{20}N_2NaO_3$ $(M+Na)^+$ required m/z 347.1372, found m/z 347.1373.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-(thiophen-2-yl)vinyl)oxazolidin-4-one (3n)



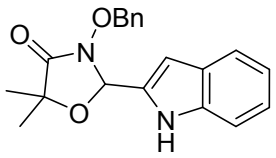
White solid, 32.5 mg, 99% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.34 (m, 5H), 7.29 (d, J = 4.8 Hz, 1H), 7.08 (d, J = 2.4 Hz, 1H), 7.03 (dd, J = 5.0, 3.6 Hz, 1H), 6.85 (d, J = 15.6 Hz, 1H), 5.77 (dd, J = 15.6, 7.8 Hz, 1H), 5.18 (d, J = 7.9 Hz, 1H), 5.12 (d, J = 10.5 Hz, 1H), 4.98 (d, J = 10.5 Hz, 1H), 1.49 (s, 3H), 1.39 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.41, 140.00, 134.57, 130.67, 129.91, 129.19, 128.56, 127.93, 127.58, 126.25, 123.46, 87.70, 78.54, 77.75, 25.51, 23.08. HRMS (ESI): exact mass calculated for $C_{18}H_{19}NNaO_3S$ $(M+Na)^+$ required m/z 352.0983, found m/z 352.0983.

(E)-3-(Benzyloxy)-2-(2-(1-methoxynaphthalen-2-yl)vinyl)-5,5-dimethyloxazolidin-4-one (3o)



White solid, 39.8 mg, 99% yield (purification eluent: hexane/ethyl acetate = 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.6 Hz, 1H), 7.89 – 7.81 (m, 2H), 7.56 – 7.50 (m, 1H), 7.47 (dd, *J* = 6.5, 2.9 Hz, 2H), 7.43 – 7.39 (m, 1H), 7.37 – 7.26 (m, 5H), 6.25 (dd, *J* = 16.0, 8.1 Hz, 1H), 5.41 (d, *J* = 8.1 Hz, 1H), 5.20 (d, *J* = 10.1 Hz, 1H), 5.10 (d, *J* = 10.1 Hz, 1H), 3.98 (s, 3H), 1.54 (s, 3H), 1.46 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.33, 155.11, 134.65, 132.37, 131.17, 130.73, 130.08, 129.83, 129.06, 128.99, 128.51, 128.48, 127.02, 123.71, 123.59, 117.85, 112.85, 88.86, 78.54, 77.76, 56.23, 25.53, 23.22. HRMS (ESI): exact mass calculated for $C_{25}H_{25}NNaO_4$ $(M+Na)^+$ required m/z 426.1681, found m/z 426.1682.

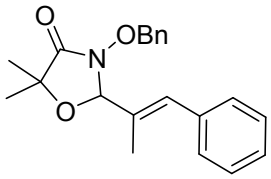
3-(Benzyloxy)-2-(1H-indol-2-yl)-5,5-dimethyloxazolidin-4-one (3p)



White solid, 30.2 mg, 90% yield (purification eluent: hexane/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 7.69 (d, *J* =

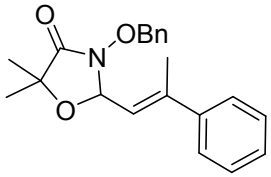
7.9 Hz, 1H), 7.43 (d, *J* = 8.1 Hz, 1H), 7.35 – 7.26 (m, 4H), 7.25 – 7.16 (m, 3H), 6.78 (s, 1H), 5.88 (s, 1H), 4.92 (d, *J* = 10.3 Hz, 1H), 4.59 (d, *J* = 10.3 Hz, 1H), 1.61 (s, 3H), 1.47 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.87, 136.75, 134.28, 131.89, 129.65, 129.09, 128.43, 127.61, 123.51, 121.34, 120.31, 111.63, 105.48, 82.28, 78.30, 25.33, 22.45. HRMS (ESI): exact mass calculated for $C_{20}H_{20}N_2NaO_3$ $(M+Na)^+$ required m/z 359.1372, found m/z 359.1373.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(1-phenylprop-1-en-2-yl)oxazolidin-4-one (3q)



Colorless oil, 30.0 mg, 89% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.39 (m, 1H), 7.39 – 7.30 (m, 1H), 6.61 (s, 1H), 5.15 – 5.13 (m, 2H), 4.92 (d, *J* = 10.5 Hz, 1H), 1.86 (s, 3H), 1.52 (s, 3H), 1.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.49, 136.17, 134.71, 134.03, 132.45, 129.81, 129.18, 129.08, 128.58, 128.35, 127.59, 92.22, 77.86, 77.72, 24.92, 23.38, 11.39. HRMS (ESI): exact mass calculated for $C_{21}H_{23}NNaO_3$ $(M+Na)^+$ required m/z 360.1576, found m/z 360.1572.

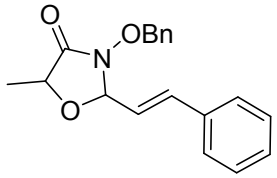
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-phenylprop-1-en-1-yl)oxazolidin-4-one (3r)



Colorless oil, 31.0 mg, 92% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.40 (m, 5H), 7.39 – 7.33 (m, 5H), 5.70 – 5.62 (m, 2H), 5.15 (d, *J* = 10.5 Hz, 1H), 4.98 (d, *J* = 10.5 Hz, 1H), 2.15 (s, 3H), 1.51 (s, 3H), 1.43 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.69, 144.77, 141.94, 134.72, 129.71, 129.06, 128.57, 128.43, 128.24, 126.01, 123.22,

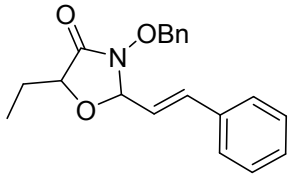
82.98, 78.66, 77.65, 25.63, 23.03, 16.48. HRMS (ESI): exact mass calculated for $C_{21}H_{23}NNaO_3$ $(M+Na)^+$ required m/z 360.1576, found m/z 360.1575.

(E)-3-(Benzyloxy)-5-methyl-2-styryloxazolidin-4-one (3s)



Colorless oil, 23.4 mg, 76% yield (purification eluent: hexane/ethyl acetate = 5:1). d.r. = 2.4 ： 1. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.30 (m, 10H), 6.76 (d, J = 15.8 Hz, 0.7H), 6.69 (d, J = 15.8 Hz, 0.3H), 6.01 (dd, J = 15.8, 7.6 Hz, 0.3H), 5.90 (dd, J = 15.8, 7.6 Hz, 0.7H), 5.27 (d, J = 8.2 Hz, 1H), 5.11 (d, J = 2.6 Hz, 0.3H), 5.09 (d, J = 2.7 Hz, 0.7H), 4.99 (t, J = 12.1 Hz, 1H), 4.49 (q, J = 6.5 Hz, 0.3H), 4.34 (q, J = 6.5 Hz, 0.7H), 1.52 (d, J = 6.7 Hz, 2H), 1.46 (d, J = 6.7 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.27, 138.22, 135.04, 134.60, 129.86, 129.82, 129.17, 129.08, 129.01, 128.93, 128.70, 128.68, 128.57, 128.51, 127.21, 127.14, 124.23, 123.68, 89.71, 89.02, 78.64, 78.46, 72.32, 71.51, 17.88, 17.13. HRMS (ESI): exact mass calculated for $C_{19}H_{19}NNaO_3$ $(M+Na)^+$ required m/z 332.1263, found m/z 332.1259.

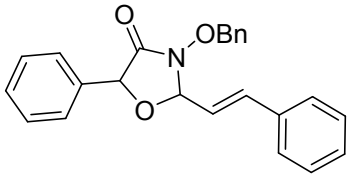
(E)-3-(Benzyloxy)-5-ethyl-2-styryloxazolidin-4-one (3t)



Colorless oil, 23.9 mg, 74% yield (purification eluent: hexane/ethyl acetate = 5:1). d.r. = 3 : 1. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.30 (m, 10H), 6.77 (d, J = 15.8 Hz, 0.7H), 6.68 (d, J = 15.8 Hz, 0.3H), 6.01 (dd, J = 15.8, 8.0 Hz, 0.3H), 5.87 (dd, J = 15.8, 8.0 Hz, 0.7H), 5.28 (d, J = 7.9 Hz, 0.7H), 5.24 (d, J = 7.9 Hz, 0.3H), 5.10 (d, J = 2.7 Hz, 0.3H), 5.07 (d, J = 2.9 Hz, 0.7H), 4.98 (d, J = 10.5 Hz, 1H), 4.37 – 4.25 (m, 1H), 2.01 – 1.74 (m, 2H), 1.04 (t, J = 7.4 Hz, 3H). ^{13}C NMR

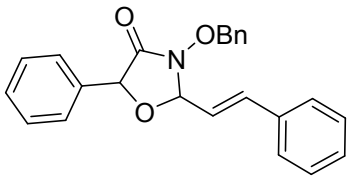
(100 MHz, $CDCl_3$) δ 170.71, 169.38, 138.15, 137.29, 135.08, 134.62, 129.92, 129.82, 129.16, 129.05, 128.98, 128.90, 128.69, 128.66, 128.56, 128.47, 127.22, 127.13, 124.16, 123.97, 89.73, 89.57, 78.61, 78.50, 76.76, 76.05, 24.85, 24.74, 8.89, 8.57. HRMS (ESI): exact mass calculated for $C_{20}H_{21}NNaO_3$ $(M+Na)^+$ required m/z 346.1419, found m/z 346.1412.

(E)-3-(Benzyloxy)-5-phenyl-2-styryloxazolidin-4-one（3u）



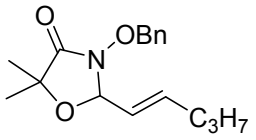
(the less polar major isomer): Light yellow solid, 16.3 mg, 44% yield (purification eluent: hexane/ethyl acetate = 5:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.50 – 7.34 (m, 15H), 6.73 (d, J = 15.8 Hz, 1H), 6.12 (dd, J = 15.8, 7.5 Hz, 1H), 5.38 (d, J = 7.4 Hz, 1H), 5.36 (s, 1H), 5.12 (d, J = 10.9 Hz, 1H), 5.04 (d, J = 10.9 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.40, 137.79, 135.64, 135.06, 134.66, 130.00, 129.28, 129.05, 128.80, 128.76, 128.74, 128.64, 127.22, 126.37, 123.61, 89.78, 78.37, 76.29. HRMS (ESI): exact mass calculated for $C_{24}H_{21}NNaO_3$ $(M+Na)^+$ required m/z 394.1419, found m/z 394.1413.

(E)-3-(Benzyloxy)-5-phenyl-2-styryloxazolidin-4-one（3u'）



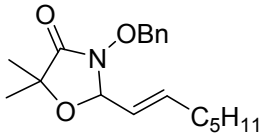
(the more polar minor isomer): White solid, 15.2 mg, 41% yield (purification eluent: hexane/ethyl acetate = 5:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.58 – 7.30 (m, 1H), 6.86 (d, J = 15.8 Hz, 1H), 5.97 (dd, J = 15.8, 8.0 Hz, 1H), 5.48 (d, J = 8.0 Hz, 1H), 5.24 (s, 1H), 5.14 (d, J = 10.5 Hz, 1H), 5.04 (d, J = 10.5 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.35, 138.60, 135.48, 135.02, 134.55, 130.06, 129.16, 129.14, 129.01, 128.74, 128.73, 128.55, 127.33, 126.88, 123.96, 89.85, 78.71, 77.44. HRMS (ESI): exact mass calculated for $C_{24}H_{21}NNaO_3$ $(M+Na)^+$ required m/z 394.1419, found m/z 394.1414.

(E)-3-(Benzyloxy)-5,5-dimethyl-2-(pent-1-en-1-yl)oxazolidin-4-one (5a)



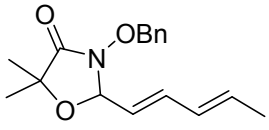
Colorless oil, 24.2 mg, 84% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.34 (m, 5H), 5.98 – 5.90 (m, 1H), 5.30 (dd, J = 15.4, 8.1 Hz, 1H), 5.09 (d, J = 10.5 Hz, 1H), 5.04 (d, J = 8.0 Hz, 1H), 4.95 (d, J = 10.5 Hz, 1H), 2.11 – 2.06 (m, 2H), 1.53 – 1.45 (m, 2H), 1.45 (s, 3H), 1.35 (s, 3H), 0.95 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.34, 140.88, 134.71, 129.78, 129.07, 128.48, 126.17, 87.86, 78.31, 77.47, 34.13, 25.46, 22.94, 21.77, 13.69. HRMS (ESI): exact mass calculated for $C_{17}H_{23}NNaO_3$ $(M+Na)^+$ required m/z 312.1576, found m/z 312.1581.

(E)-3-(Benzyloxy)-2-(hept-1-en-1-yl)-5,5-dimethyloxazolidin-4-one (5b)



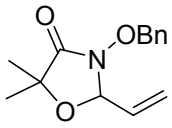
Colorless oil, 19.6 mg, 62% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.38 (m, 5H), 5.99 – 5.92 (m, 1H), 5.30 (dd, J = 15.3, 8.1 Hz, 1H), 5.09 (d, J = 10.4 Hz, 1H), 5.04 (d, J = 8.2 Hz, 1H), 4.96 (d, J = 10.4 Hz, 1H), 2.15 – 2.04 (m, 2H), 1.45 (s, 3H), 1.44 – 1.39 (m, 2H), 1.35 (s, 3H), 1.34 – 1.31 (m, 4H), 0.91 (t, J = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.38, 141.27, 134.69, 129.79, 129.09, 128.50, 125.92, 87.90, 78.33, 77.50, 32.10, 31.37, 28.26, 25.46, 22.93, 22.50, 14.03. HRMS (ESI): exact mass calculated for $C_{19}H_{27}NNaO_3$ $(M+Na)^+$ required m/z 340.1889, found m/z 340.1886.

3-(Benzyloxy)-5,5-dimethyl-2-((1E,3E)-penta-1,3-dien-1-yl)oxazolidin-4-one (5c)



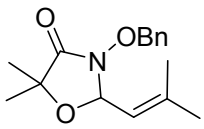
Light yellow solid, 27.5 mg, 96% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 – 7.31 (m, 5H), 6.33 (dd, J = 15.1, 10.4 Hz, 1H), 6.13 – 6.01 (m, 1H), 5.94 – 5.82 (m, 1H), 5.29 (dd, J = 15.1, 8.1 Hz, 1H), 5.08 (d, J = 8.5 Hz, 1H), 5.06 (d, J = 6.2 Hz, 1H), 4.94 (d, J = 10.5 Hz, 1H), 1.83 (d, J = 7.2 Hz, 3H), 1.45 (s, 3H), 1.35 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.33, 138.31, 134.66, 133.90, 129.93, 129.76, 129.08, 128.50, 124.79, 87.69, 78.37, 77.53, 25.47, 23.00, 18.30. HRMS (ESI): exact mass calculated for $C_{17}H_{21}NNaO_3$ $(M+Na)^+$ required m/z 310.1419, found m/z 310.1411.

3-(Benzyloxy)-5,5-dimethyl-2-vinyloxazolidin-4-one (5d)



Colorless oil, 18.7 mg, 76% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.33 (m, 5H), 5.76 – 5.67 (m, 1H), 5.51 (d, J = 16.2 Hz, 1H), 5.48 (d, J = 14.7 Hz, 1H), 5.10 (d, J = 10.4 Hz, 1H), 5.03 (d, J = 7.7 Hz, 1H), 4.95 (d, J = 10.4 Hz, 1H), 1.45 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.19, 134.56, 134.17, 129.83, 129.17, 128.54, 123.29, 87.73, 78.34, 77.72, 25.46, 23.17. HRMS (ESI): exact mass calculated for $C_{14}H_{17}NNaO_3$ $(M+Na)^+$ required m/z 270.1106, found m/z 270.1115.

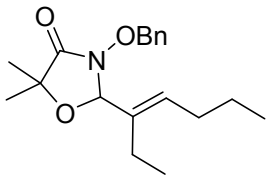
3-(Benzyloxy)-5,5-dimethyl-2-(2-methylprop-1-en-1-yl)oxazolidin-4-one (5e)



Colorless oil, 24.2 mg, 88% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 - 7.37 (m, 5H), 5.44 (d, J = 8.6 Hz, 1H), 5.11 (d, J = 10.5 Hz, 1H), 5.05 (d, J = 8.7 Hz, 1H), 4.94 (d, J = 10.5 Hz, 1H), 1.79 (s, 3H), 1.72 (s, 3H), 1.45 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.48, 143.73, 134.87, 129.72, 129.02, 128.51, 121.11, 82.82, 78.34,

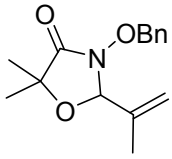
77.38, 26.22, 25.55, 22.93, 18.28. HRMS (ESI): exact mass calculated for $C_{16}H_{21}NNaO_3$ $(M+Na)^+$ required m/z 298.1419, found m/z 298.1416.

(E)-3-(Benzyloxy)-2-(hept-3-en-3-yl)-5,5-dimethyloxazolidin-4-one (5f)



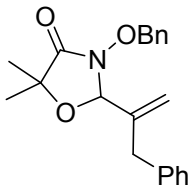
Colorless oil, 26.6 mg, 84% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.33 (m, 5H), 5.58 (t, J = 7.3 Hz, 1H), 5.08 (d, J = 10.4 Hz, 1H), 4.97 (s, 1H), 4.86 (d, J = 10.4 Hz, 1H), 2.21 – 1.98 (m, 4H), 1.50 – 1.44 (m, 5H), 1.34 (s, 3H), 1.06 (t, J = 7.6 Hz, 3H), 0.98 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.12, 136.62, 135.96, 134.75, 129.67, 129.07, 128.50, 92.17, 77.54, 77.42, 29.90, 24.90, 23.13, 22.46, 18.27, 14.52, 13.96. HRMS (ESI): exact mass calculated for $C_{19}H_{27}NNaO_3$ $(M+Na)^+$ required m/z 340.1889, found m/z 340.1895.

3-(Benzyloxy)-5,5-dimethyl-2-(prop-1-en-2-yl)oxazolidin-4-one (5g)



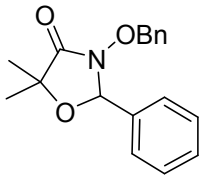
Colorless oil, 19.8 mg, 76% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}HNMR (400 MHz, $CDCl_3$) δ 7.44 – 7.39 (m, 5H), 5.25 (s, 1H), 5.21 (s, 1H), 5.12 (d, J = 10.4 Hz, 1H), 5.01 (s, 1H), 4.91 (d, J = 10.4 Hz, 1H), 1.75 (s, 3H), 1.47 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.14, 140.27, 134.59, 129.77, 129.20, 128.56, 120.01, 89.86, 77.68, 77.63, 24.93, 23.40, 14.87. HRMS (ESI): exact mass calculated for $C_{15}H_{19}NNaO_3$ $(M+Na)^+$ required m/z 284.1263, found m/z 284.1262.

3-(Benzyloxy)-5,5-dimethyl-2-(3-phenylprop-1-en-2-yl)oxazolidin-4-one (5h)



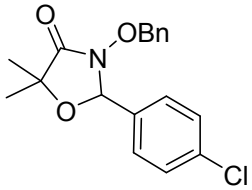
Colorless oil, 27.9 mg, 83% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.39 (m, 5H), 7.36 – 7.31 (m, 2H), 7.29 – 7.25 (m, 1H), 7.24 – 7.18 (m, 2H), 5.34 (s, 1H), 5.17 (d, J = 10.4 Hz, 1H), 5.12 (s, 1H), 4.96 (s, 1H), 4.93 (d, J = 10.4 Hz, 1H), 3.47 (d, J = 16.4 Hz, 1H), 3.34 (d, J = 16.4 Hz, 1H), 1.49 (s, 3H), 1.38 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.28, 144.29, 138.42, 134.58, 129.78, 129.63, 129.25, 128.62, 128.47, 126.34, 120.88, 89.68, 77.82, 77.63, 34.72, 24.89, 23.34. HRMS (ESI): exact mass calculated for $C_{21}H_{23}NNaO_3$ $(M+Na)^+$ required m/z 360.1576, found m/z 360.1576.

3-(Benzyloxy)-5,5-dimethyl-2-phenyloxazolidin-4-one (5i)



Colorless oil, 24.9 mg, 84% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 - 7.41 (m, 5H), 7.35 - 7.30 (m, 3H), 7.21 -7.19 (m, 2H), 5.65 (s, 1H), 4.96 (d, J = 10.1 Hz, 1H), 4.45 (d, J = 10.1 Hz, 1H), 1.60 (s, 3H), 1.46 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.52, 135.87, 134.35, 130.41, 129.65, 129.04, 128.74, 128.48, 127.93, 87.74, 78.26, 78.19, 25.35, 22.70. HRMS (ESI): exact mass calculated for $C_{18}H_{19}NNaO_3$ $(M+Na)^+$ required m/z 320.1263, found m/z 320.1265.

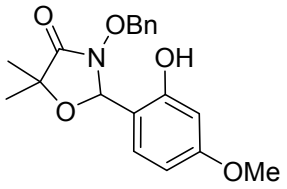
3-(Benzyloxy)-2-(4-chlorophenyl)-5,5-dimethyloxazolidin-4-one (5j)



White solid, 30.7 mg, 93% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.30 (m, 7H), 7.21 (d, *J* = 6.9 Hz, 2H), 5.58 (s, 1H), 4.97 (d, *J* = 10.4 Hz, 1H), 4.53 (d, *J* = 10.4 Hz, 1H), 1.58 (s, 3H), 1.44 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.71, 136.23, 134.42,

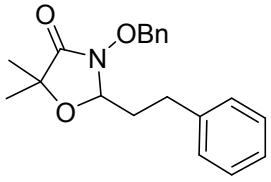
134.32, 129.64, 129.22, 129.10, 128.97, 128.53, 87.05, 78.28, 78.23, 25.35, 22.68. HRMS (ESI): exact mass calculated for $C_{18}H_{18}ClNNaO_3$ $(M+Na)^+$ required m/z 354.0873, found m/z 354.0872.

3-(Benzyloxy)-2-(2-hydroxy-4-methoxyphenyl)-5,5-dimethyloxazolidin-4-one (5k)



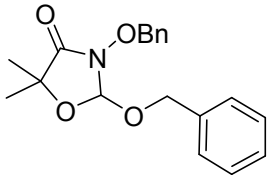
Colorless oil, 32.2 mg, 94% yield (purification eluent: hexane/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (s, 1H), 7.36 – 7.29 (m, 3H), 7.23 – 7.22 (m, 2H), 7.18 (d, *J* = 8.3 Hz, 1H), 6.60 – 6.47 (m, 2H), 5.90 (s, 1H), 4.87 (d, *J* = 9.9 Hz, 1H), 4.45 (d, *J* = 9.9 Hz, 1H), 3.83 (s, 3H), 1.61 (s, 3H), 1.45 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.58, 162.61, 157.81, 134.08, 131.08, 129.74, 128.97, 128.41, 111.21, 106.42, 102.60, 86.99, 78.83, 78.75, 55.40, 24.84, 21.64. HRMS (ESI): exact mass calculated for $C_{19}H_{21}NNaO_5$ $(M+Na)^+$ required m/z 366.1317, found m/z 366.1316.

3-(Benzyloxy)-5,5-dimethyl-2-phenethyloxazolidin-4-one (5l)



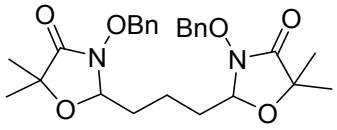
Colorless oil, 22.7 mg, 70% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.37 (m, 5H), 7.33 – 7.29 (m, 2H), 7.27 – 7.14 (m, 3H), 5.18 (d, *J* = 10.6 Hz, 1H), 5.01 (d, *J* = 10.6 Hz, 1H), 4.76 (dd, *J* = 6.1, 2.5 Hz, 1H), 2.79 – 2.61 (m, 2H), 2.14 – 2.01 (m, 1H), 1.95 – 1.79 (m, 1H), 1.47 (s, 3H), 1.35 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.27, 140.97, 134.69, 129.75, 129.27, 128.69, 128.51, 128.38, 126.09, 85.78, 77.90, 77.65, 34.79, 28.91, 25.24, 23.14. HRMS (ESI): exact mass calculated for $C_{20}H_{23}NNaO_3$ $(M+Na)^+$ required m/z 348.1576, found m/z 348.1579.

2,3-Bis(benzyloxy)-5,5-dimethyloxazolidin-4-one (5m)



Colorless oil, 28.4 mg, 87% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.31 (m, 10H), 5.64 (s, 1H), 5.14 (d, *J* = 10.6 Hz, 1H), 5.07 (d, *J* = 10.6 Hz, 1H), 4.69 (d, *J* = 11.8 Hz, 1H), 4.63 (d, *J* = 11.8 Hz, 1H), 1.52 (s, 3H), 1.41 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.83, 136.68, 134.61, 129.61, 129.15, 128.61, 128.54, 128.02, 127.83, 103.10, 78.48, 78.07, 67.27, 25.47, 25.13. HRMS (ESI): exact mass calculated for $C_{19}H_{21}NNaO_4$ $(M+Na)^+$ required m/z 350.1368, found m/z 350.1372.

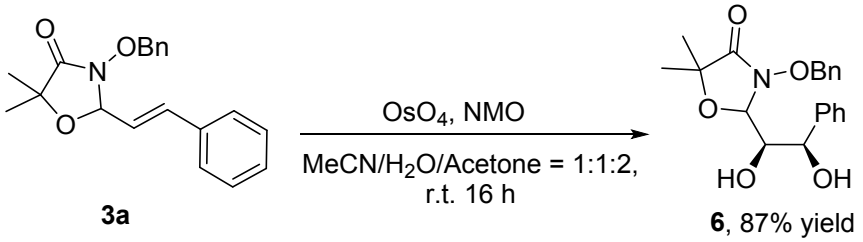
2,2'-(Propane-1,3-diyl)bis(3-(benzyloxy)-5,5-dimethyloxazolidin-4-one) (5n)



Colorless oil, 29.4 mg, 61% yield (purification eluent: hexane/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 – 7.29 (m, 5H), 5.50 (s, 1H), 5.02 (s, 2H), 1.95 – 1.81 (m, 2H), 1.61 (s, 1H), 1.49 (s, 3H), 1.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.89, 137.63, 128.35, 128.23, 127.75, 104.64, 79.61, 76.45, 33.29, 26.06, 23.98, 16.41. HRMS (ESI): exact mass calculated for $C_{19}H_{21}NNaO_4$ $(M+Na)^+$ required m/z 505.2315, found m/z 505.2319.

V: Synthetic transformations

a) Synthesis of 3-(Benzyloxy)-2-((1R,2R)-1,2-dihydroxy-2-phenylethyl)-5,5-dimethyloxazolidin-4-one [2] (6/6')

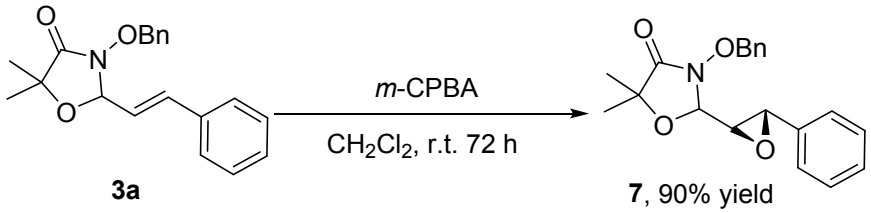


3a **6**, 87% yield

To a solution (E)-3-(benzyloxy)-5,5-dimethyl-2-styryloxazolidin-4-one (**3a**, 64.7 mg, 0.2 mmol) in MeCN-H_2O-Acetone (1 mL:1 mL:2 mL) was added NMO (46.8 mg, 0.4

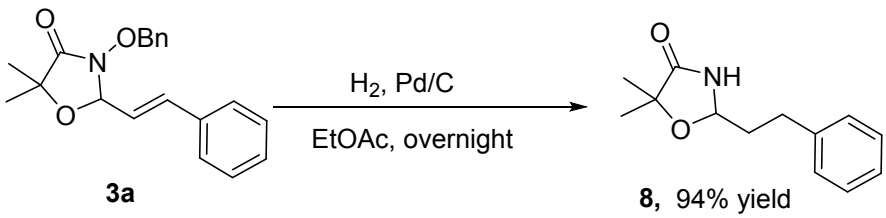
mmol) and OsO_4 (0.5 mL, 2.5% in ^{t}BuOH), and the mixture was stirred at room temperature for 16 h. The complete consumption of **3a** was confirmed by TLC (Hexane : EtOAc = 1:1). The mixture was filtered and the filtrate was washed with saturated $Na_2S_2O_3$ and the solvents were removed by rotary evaporation and adjusted the pH to 2. The solution was extracted with EtOAc (20 mL x 3), the organic layer dried (Na_2SO_4), and concentrated under rotary vapor. The residue was purified by column chromatography (EtOAc : Hexane = 1:1) to afford 3-(benzyloxy)-2-((1R,2R)-1,2-dihydroxy-2-phenylethyl)-5,5-dimethyloxazolidin-4-one **6** as colorless oil (the less polar major isomer) ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 -7.47 (m, 2H), 7.44 – 7.30 (m, 8H), 5.22 (d, J = 10.0 Hz, 1H), 5.11 (d, J = 10.0 Hz, 1H), 5.01 (s, 1H), 4.89 (d, J = 3.7 Hz, 1H), 3.88 – 3.85 (m, 1H), 2.91 (d, J = 3.9 Hz, 1H), 2.81 (d, J = 6.5 Hz, 1H), 1.50 (s, 3H), 1.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.41, 140.19, 134.02, 129.88, 129.44, 128.78, 128.61, 128.09, 126.41, 86.49, 78.21, 78.03, 76.06, 71.29, 25.17, 23.48. HRMS (ESI): exact mass calculated for $C_{20}H_{23}NNaO_5$ $(M+Na)^+$ required m/z 380.1474, found m/z 380.1464. Product 3-(benzyloxy)-2-((1R,2R)-1,2-dihydroxy-2-phenylethyl)-5,5-dimethyloxazolidin-4-one **6'** as white solid (the more polar major isomer). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.17 (m, 10H), 5.08 (d, J = 10.9 Hz, 1H), 4.99 (d, J = 10.8 Hz, 1H), 4.71 (d, J = 7.3 Hz, 1H), 4.38 (s, 1H), 3.78 (t, J = 7.1 Hz, 1H), 3.09 (s, 1H), 2.78 (d, J = 6.6 Hz, 1H), 1.51 (s, 3H), 1.33 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.57, 139.28, 134.43, 129.57, 129.26, 128.65, 128.64, 128.33, 126.80, 86.05, 78.43, 78.06, 73.56, 72.76, 24.71, 24.48. HRMS (ESI): exact mass calculated for $C_{20}H_{23}NNaO_5$ $(M+Na)^+$ required m/z 380.1474, found m/z 380.1466.

b) Synthesis of 3-(Benzyloxy)-5,5-dimethyl-2-((2S,3S)-3-phenyloxiran-2-yl)oxazolidin-4-one [3] (7)



To a solution (E)-3-(benzyloxy)-5,5-dimethyl-2-styryloxazolidin-4-one (**3a**, 64.7 mg, 0.2 mmol) in CH_2Cl_2 (2 ml) was added *m*-CPBA (69 mg, 0.4 mmol) and the reaction mixture was stirred for 72 h. The complete consumption of **3a** was confirmed by TLC (Hexanes:EtOAc = 1:1). The white precipitate was filtered, the filtrate was washed with aq $NaHCO_3$ (5 mL) and brine (5 mL), and then the organic layer was dried with anhydrous Na_2SO_4. The solvents were removed under reduced pressure and purified by flash column chromatography (Hexane : EtOAc = 1:1 to 1:2) to afford the product **7** as a colorless oil.(90% yield d.r. = 1.66:1) ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 – 7.54 (m, 1H), 7.44 – 7.35 (m, 7H), 7.30 – 7.24 (m, 2H), 5.23 – 5.17 (m, 1H), 5.16 (d, J = 10.6 Hz, 0.4H), 5.03 (d, J = 10.6 Hz, 0.6H), 4.70 (d, J = 4.5 Hz, 0.6H), 4.56 (d, J = 6.2 Hz, 0.4H), 3.89 (d, J = 2.0 Hz, 0.6H), 3.88 (d, J = 2.0 Hz, 0.4H), 3.07 (dd, J = 4.5, 2.0 Hz, 0.6H), 2.99 (dd, J = 6.2, 2.0 Hz, 0.4H), 1.50 (s, 1.8H), 1.45 (s, 1.2H), 1.42 (s, 1.8H), 1.37 (s, 1.2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.16, 171.93, 135.60, 135.52, 134.41, 134.34, 130.12, 129.73, 129.34, 129.27, 128.72, 128.67, 128.64, 128.61, 128.59, 125.81, 125.78, 87.05, 85.92, 78.47, 78.38, 78.36, 78.28, 61.47, 60.40, 55.35, 55.21, 25.36, 25.28, 24.12, 23.53. HRMS (ESI): exact mass calculated for $C_{20}H_{21}NNaO_4$ $(M+Na)^+$ required m/z 362.1368, found m/z 362.1369.

c) Synthesis of 3-(Benzyloxy)-5,5-dimethyl-2-phenethyloxazolidin-4-one [4](8)

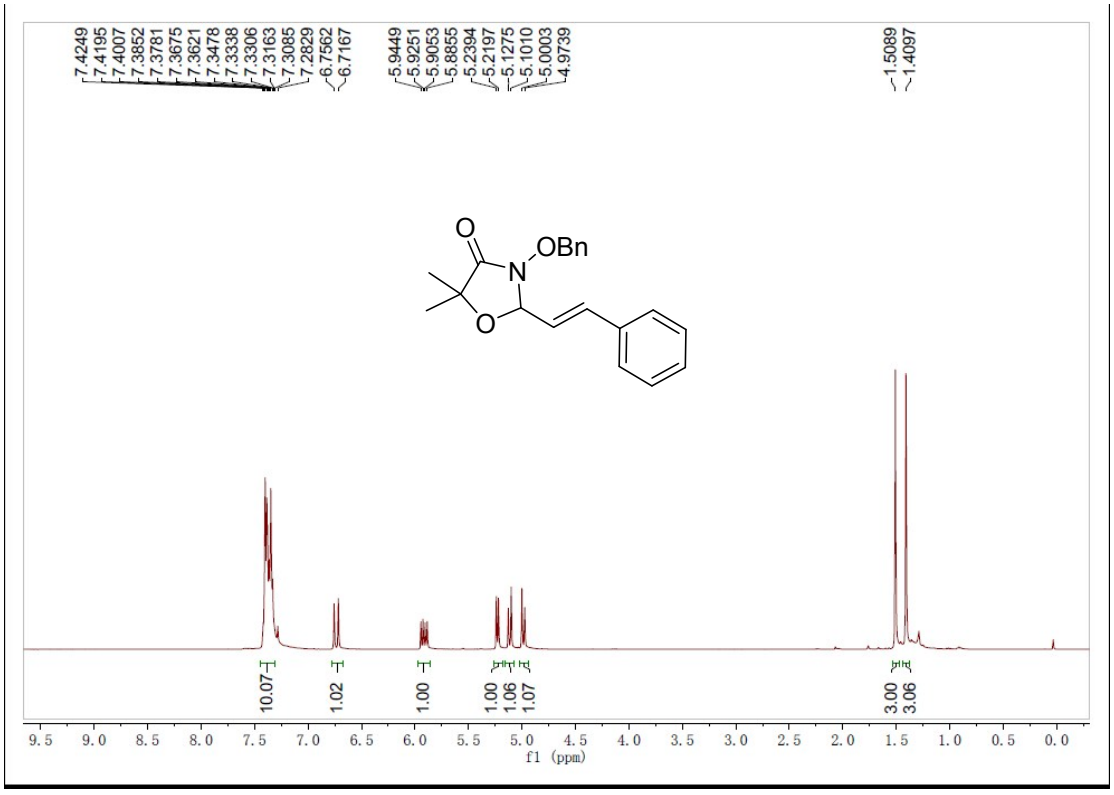


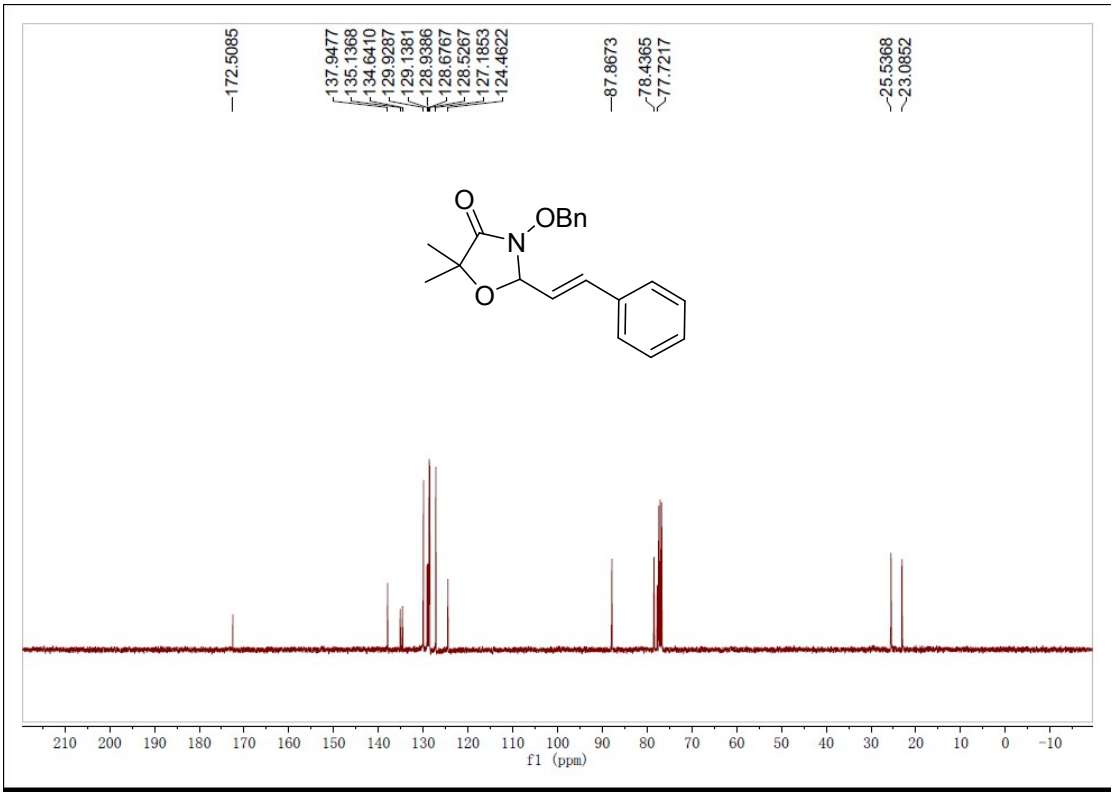
To a solution of (E)-3-(benzyloxy)-5,5-dimethyl-2-styryloxazolidin-4-one (**3a**, 64.7 mg, 0.2 mmol) in EtOAc (2 mL) was added 5% Pd/C (25 mg) and evacuated with

house vacuum (water aspirator) and flushed with H_2 gas through a balloon. The reaction mixture was stirred under H_2 gas balloon at r.t. for overnight. The complete consumption of **3a** was confirmed by TLC (Hexane : EtOAc = 1:1). The mixture was passed through a celite bed and washed with EtOAc (20 mL). The collected filtrate was concentrated under reduced pressure. Purification by flash column chromatography (Hexanes : EtOAc = 1:1) afforded the product **8** in 94% yield as a colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.66 (s, 1H), 7.46 – 7.13 (m, 5H), 5.25 (dd, J = 5.4, 2.8 Hz, 1H), 2.88 – 2.65 (m, 2H), 2.29 – 2.22 (m, 1H), 2.12 - 2.05 (m, 1H), 1.45 (s, 3H), 1.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.33, 141.10, 128.51, 128.50, 126.06, 87.14, 78.75, 34.54, 28.43, 25.18, 23.15. HRMS (ESI): exact mass calculated for $C_{13}H_{17}NNaO_2$ $(M+Na)^+$ required m/z 242.1157, found m/z 242.1158.

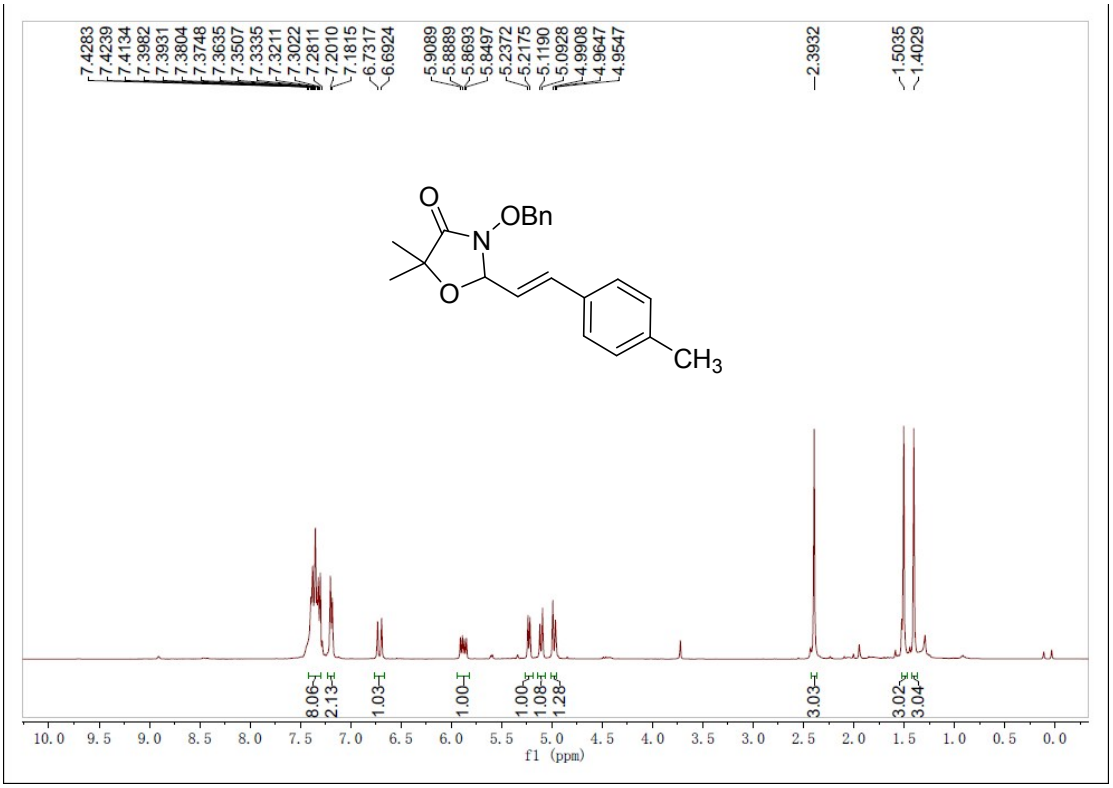
VI. NMR Spectra of the products

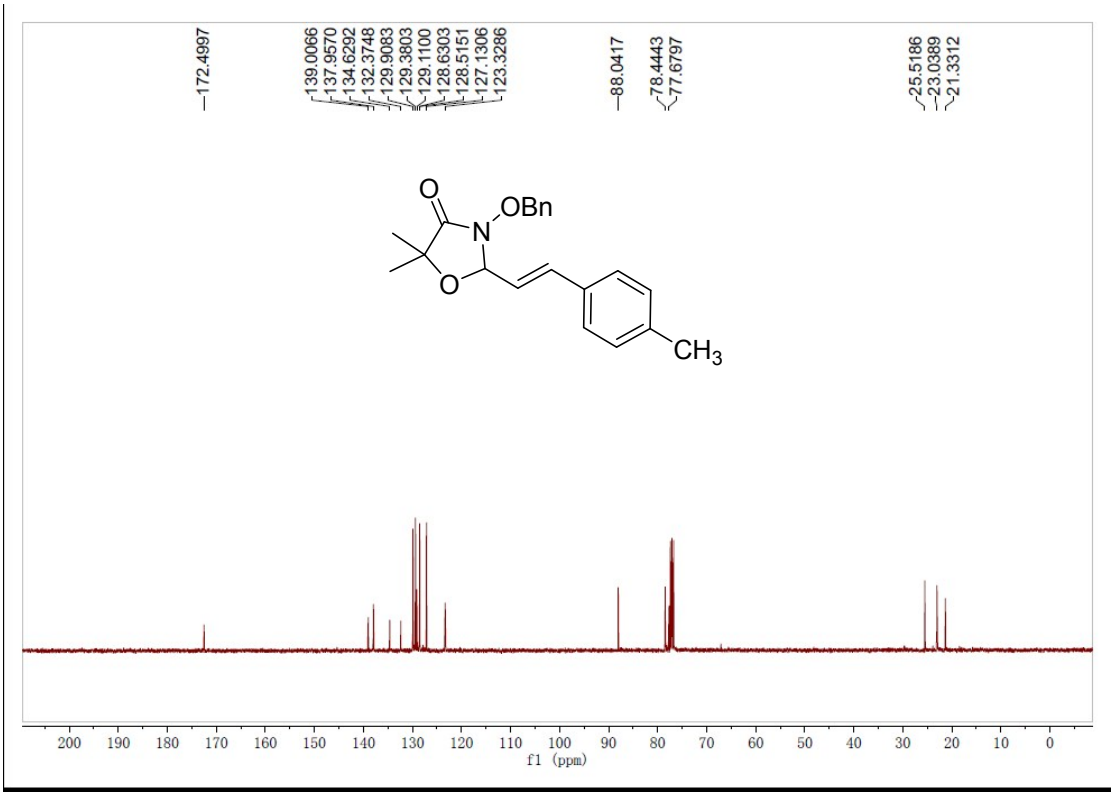
(E)-3-(Benzyloxy)-5,5-dimethyl-2-styryloxazolidin-4-one (3a)



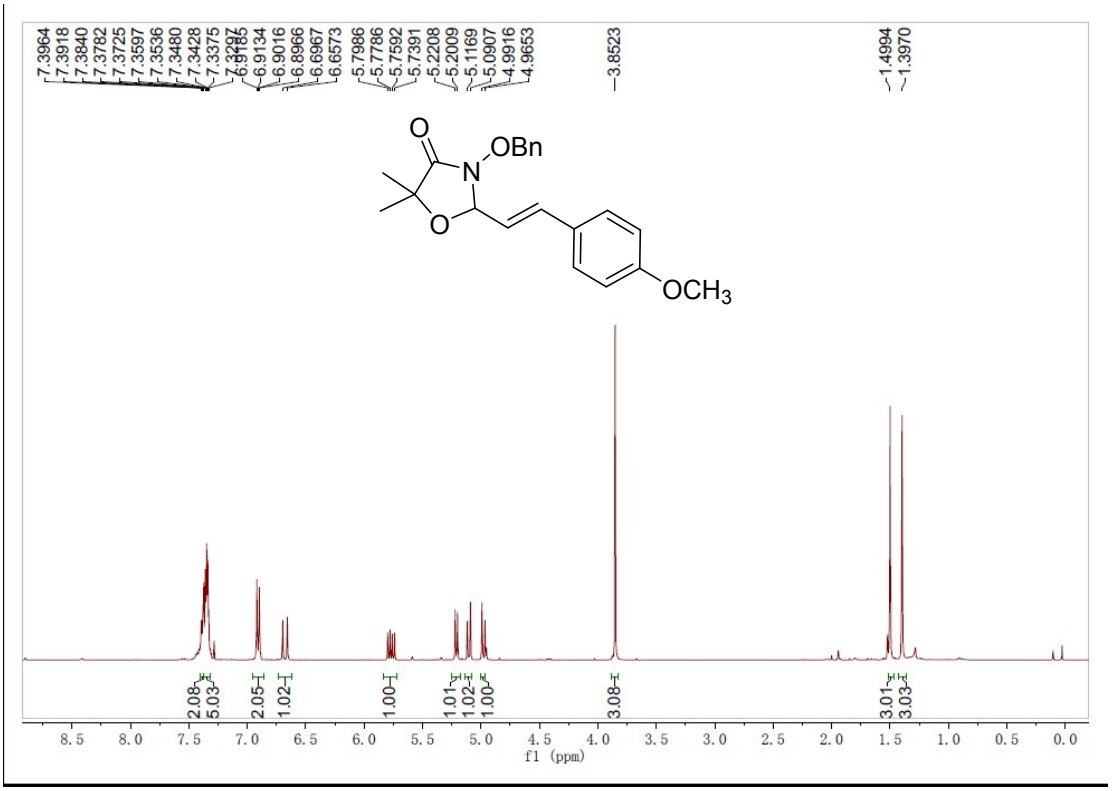


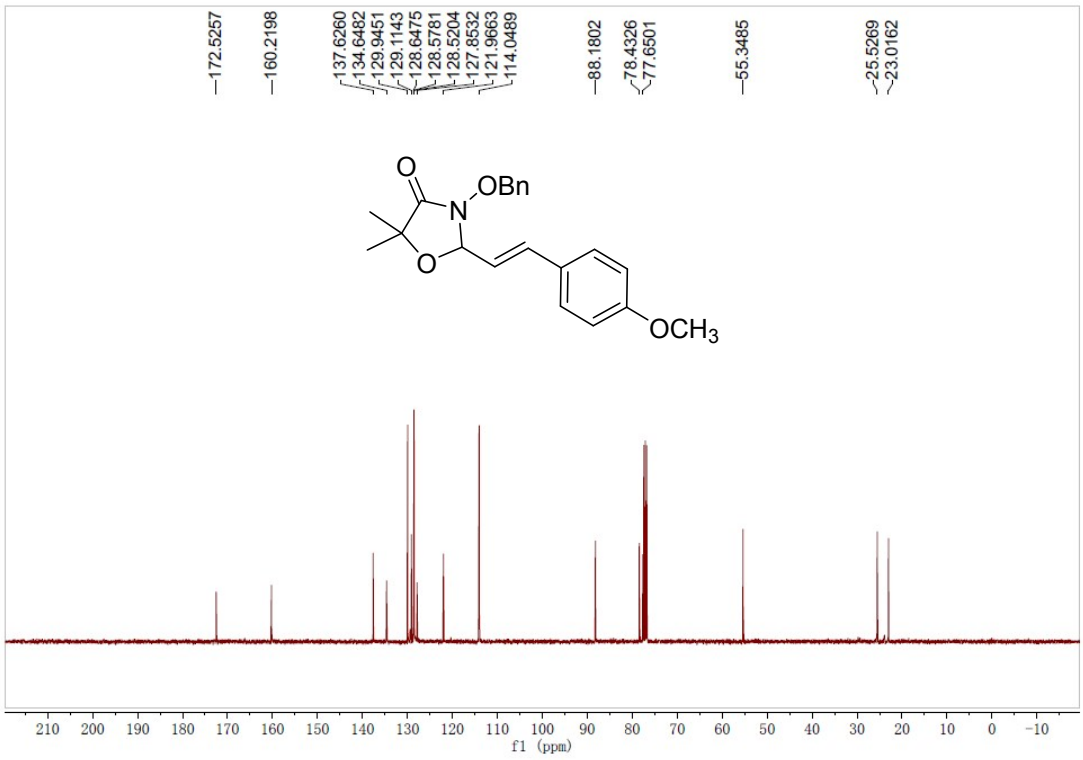
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(4-methylstyryl)oxazolidin-4-one (3b)



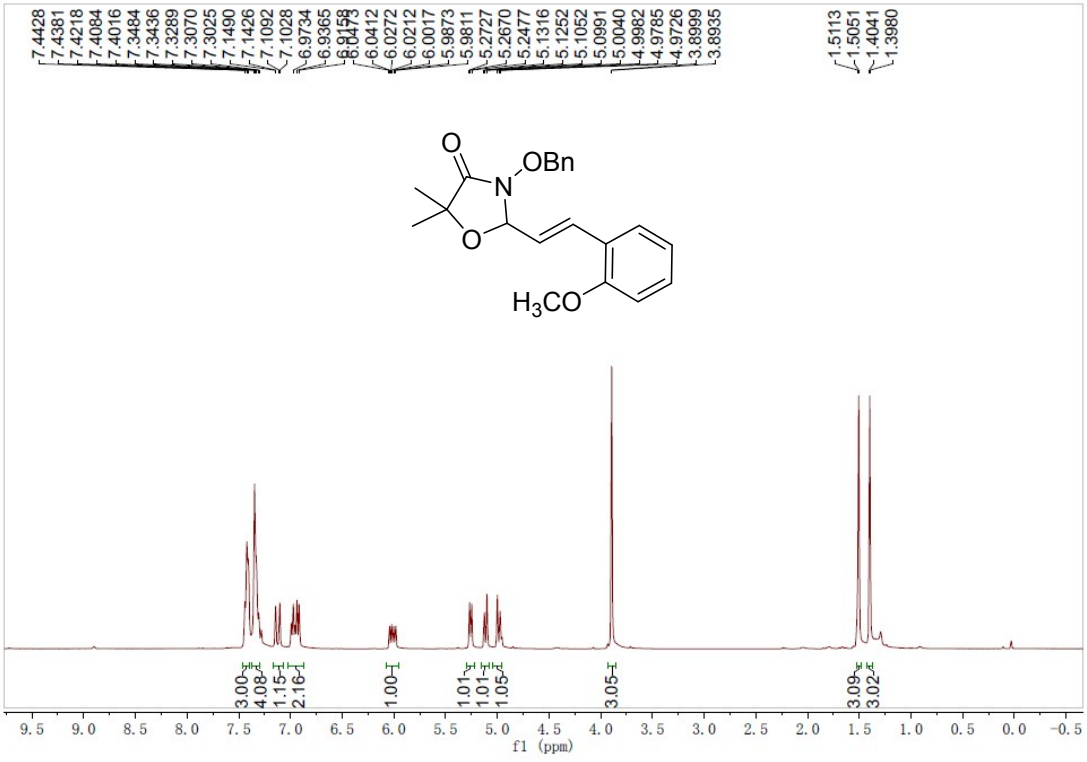


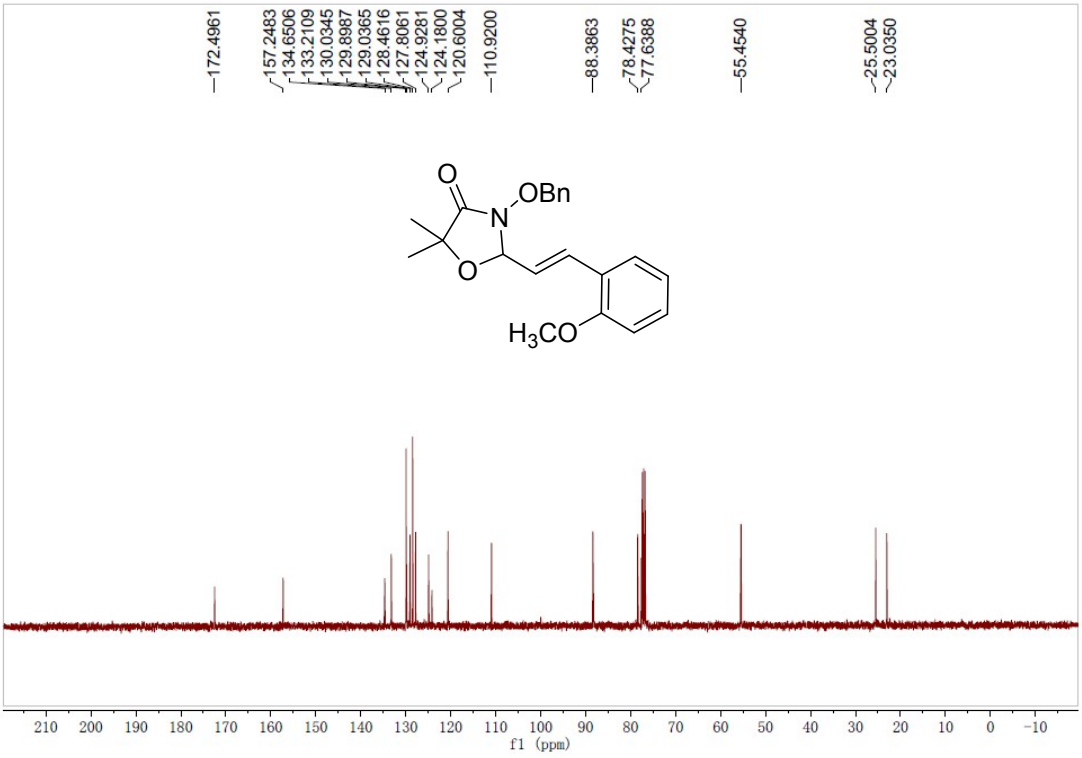
(E)-3-(Benzyloxy)-2-(4-methoxystyryl)-5,5-dimethyloxazolidin-4-one (3c)



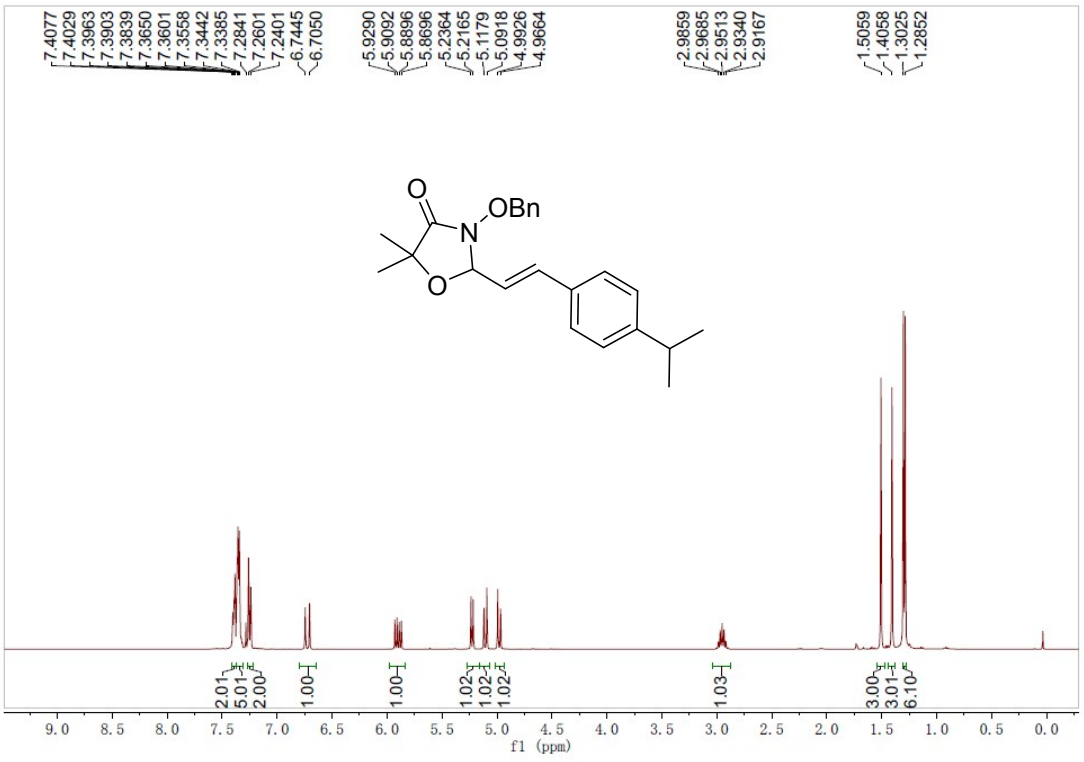


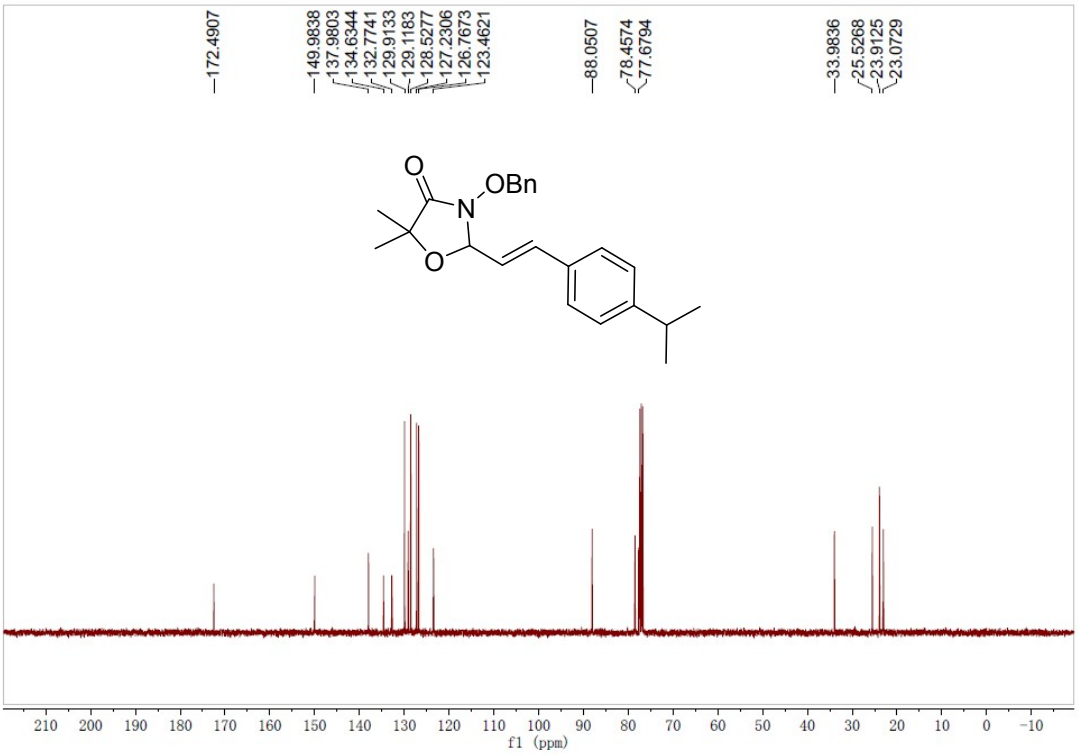
(E)-3-(Benzyloxy)-2-(2-methoxystyryl)-5,5-dimethyloxazolidin-4-one (3d)



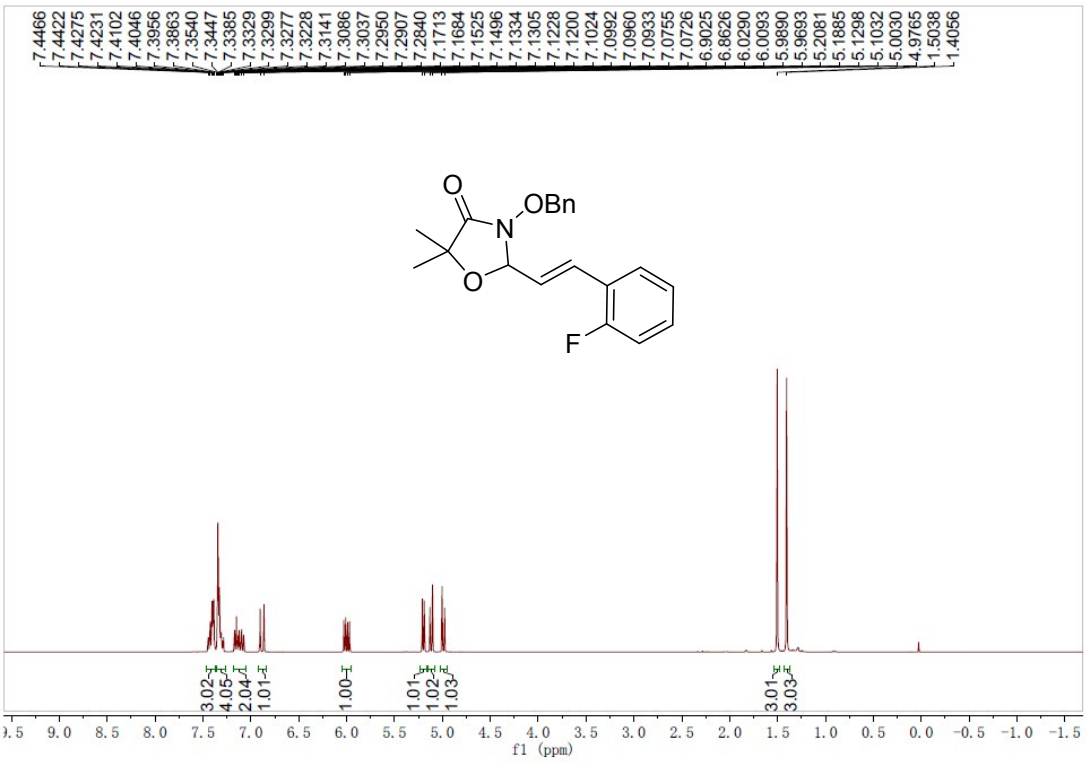


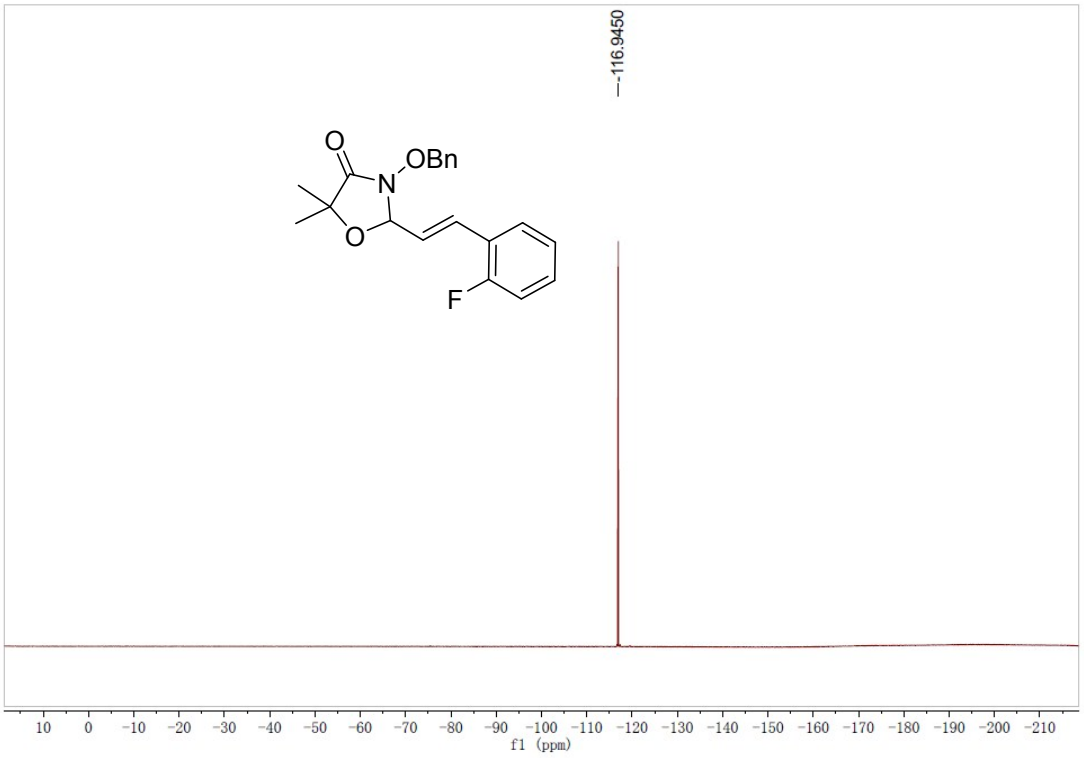
(E)-3-(Benzyloxy)-2-(4-isopropylstyryl)-5,5-dimethyloxazolidin-4-one (3e)





(E)-3-(Benzyloxy)-2-(2-fluorostyryl)-5,5-dimethyloxazolidin-4-one (3f)

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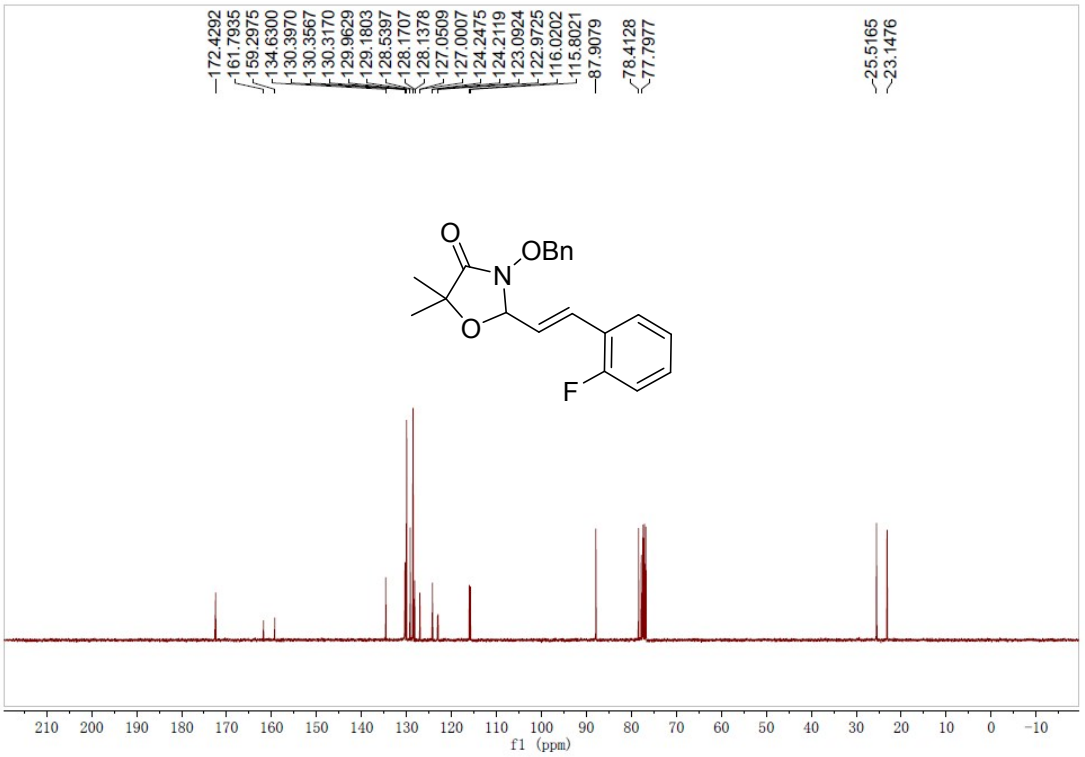
O
N
OBn
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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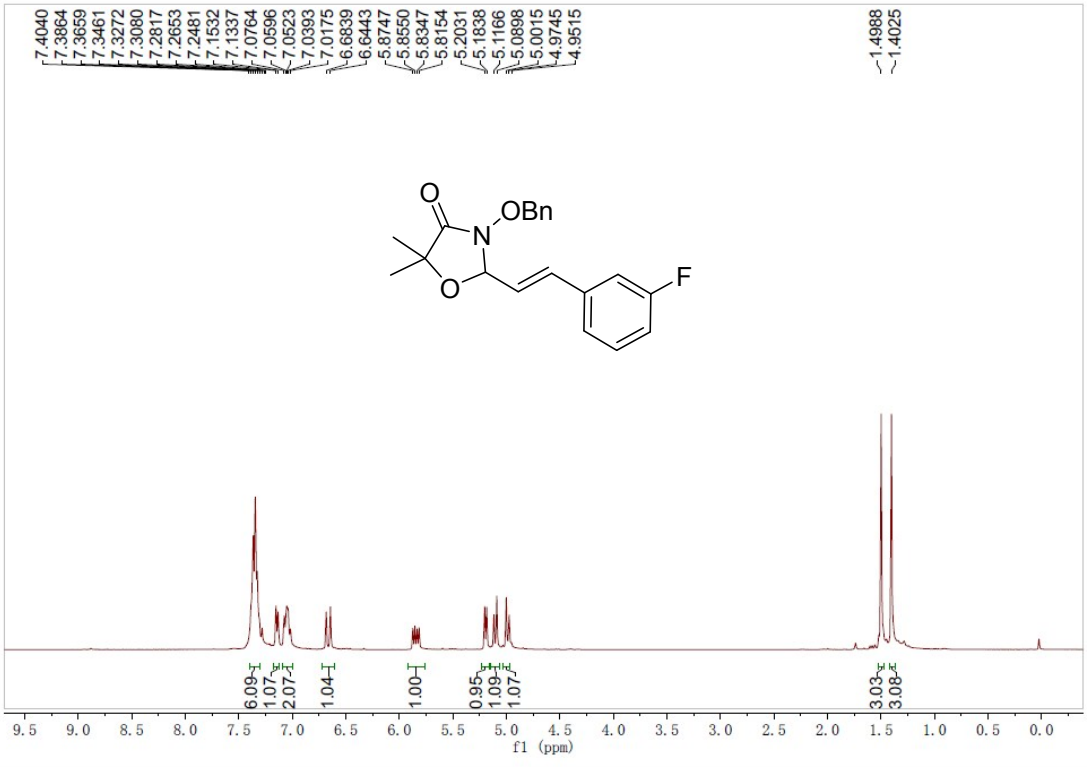
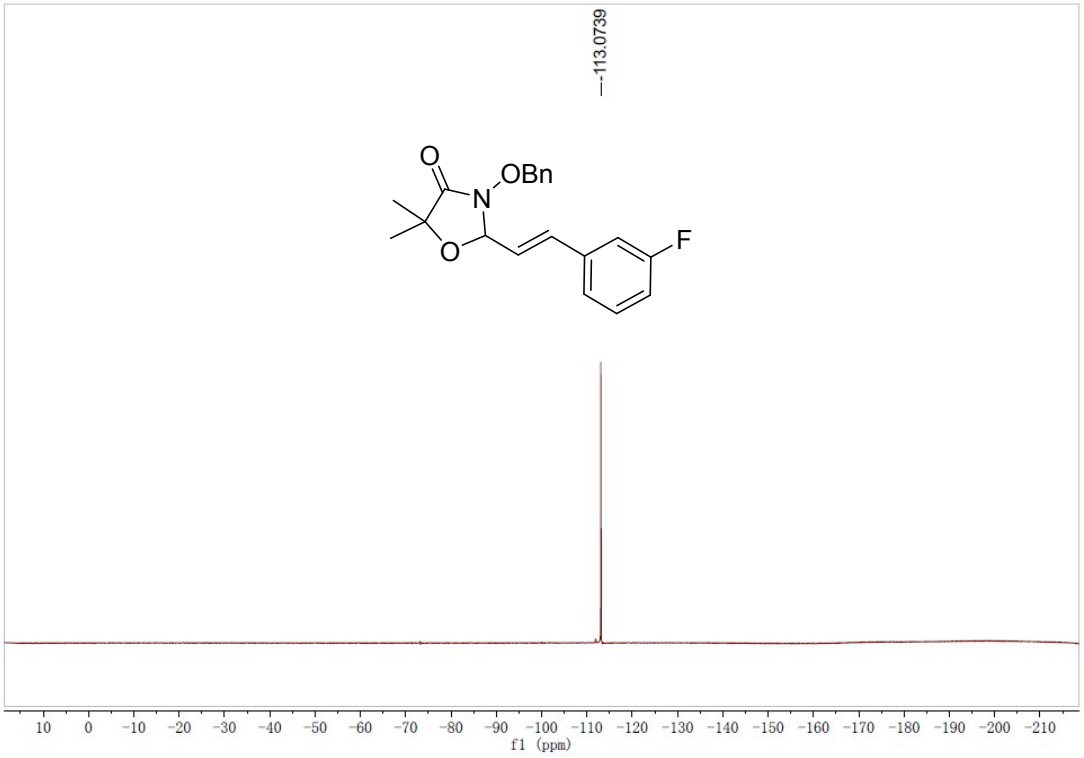
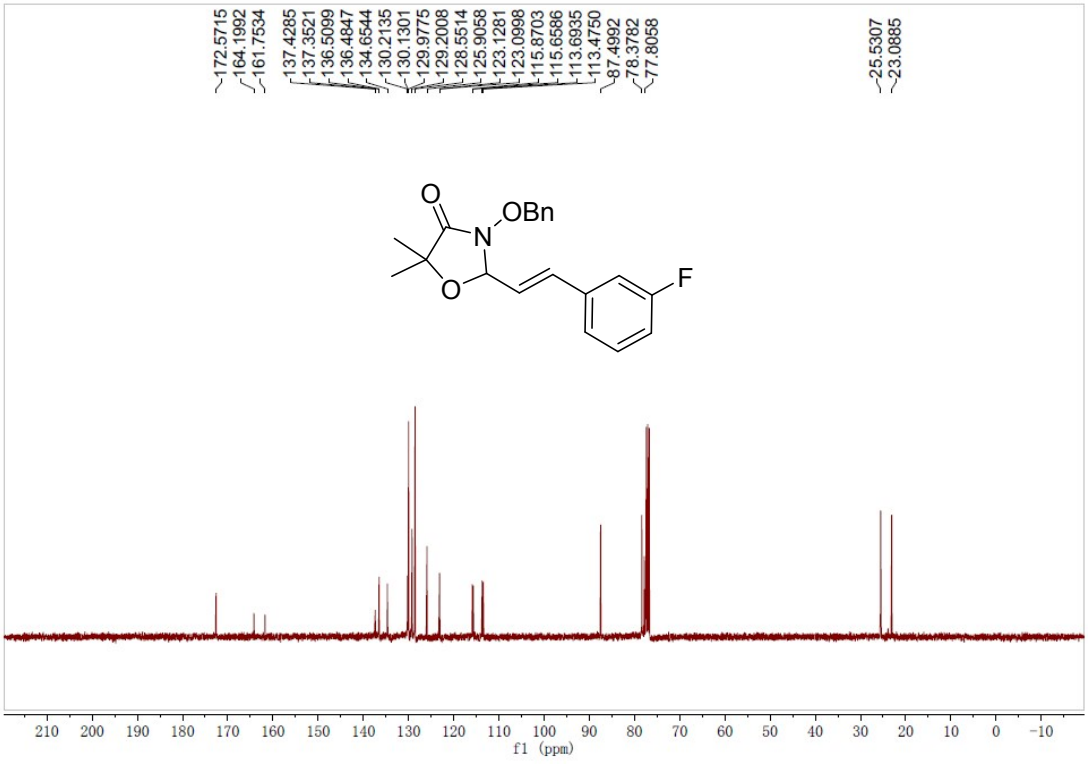
77.7977
25.5165
23.1476
O
N
OBn
O
F
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

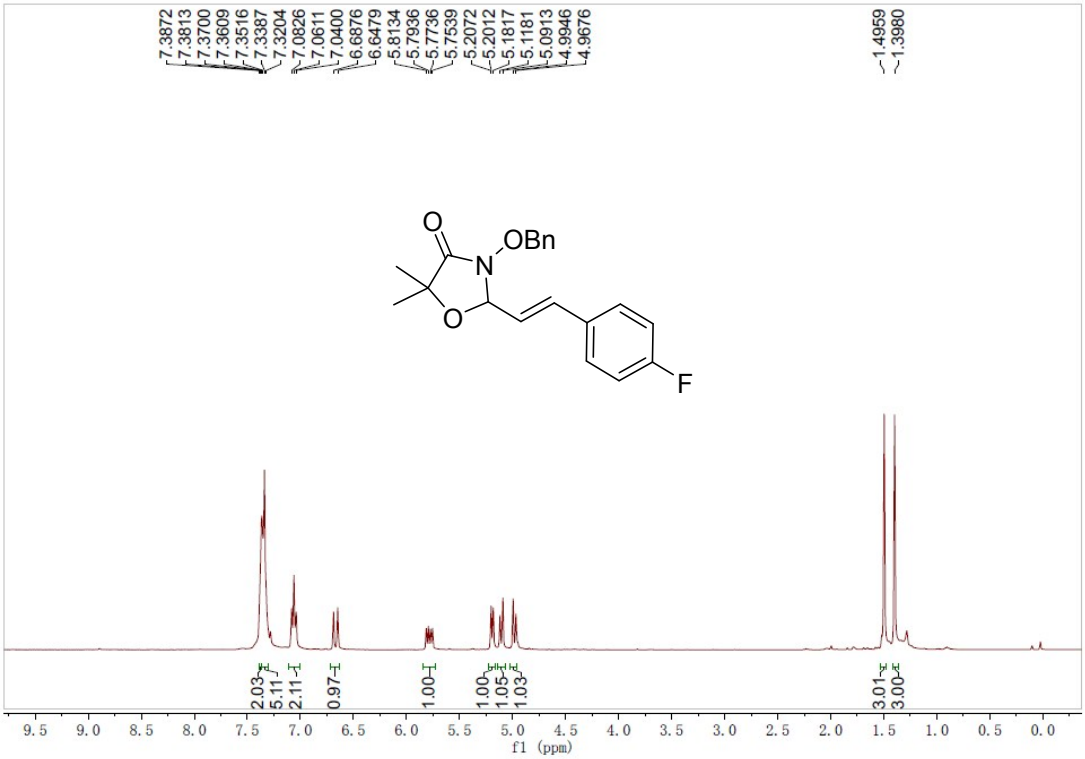
(E)-3-(Benzyloxy)-2-(3-fluorostyryl)-5,5-dimethyloxazolidin-4-one (3g)

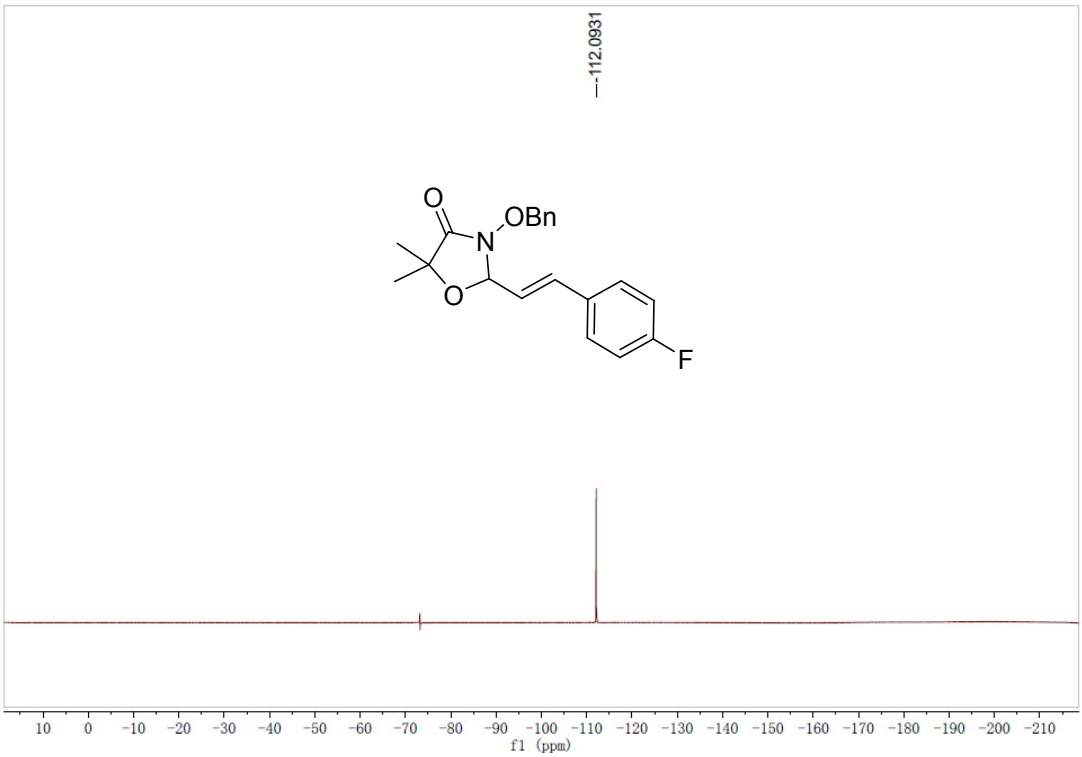


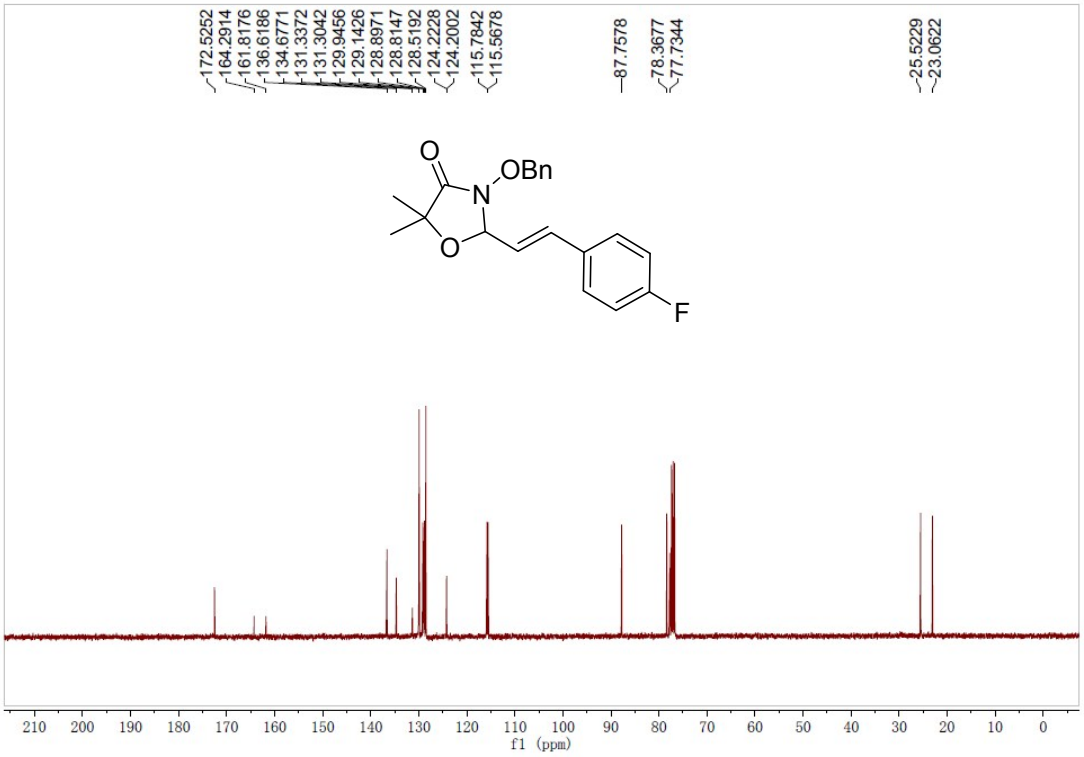

-113.0739
O
N
OBn
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


172.5715
164.1992
161.7534
137.4285
137.3521
136.5099
136.4847
134.6544
130.2135
130.1301
129.9775
129.2008
128.5514
125.9058
123.1281
123.0998
115.8703
115.6586
113.6935
113.4750
87.4992
78.3782
77.8058
25.5307
23.0885
O
N
OBn
O
F
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

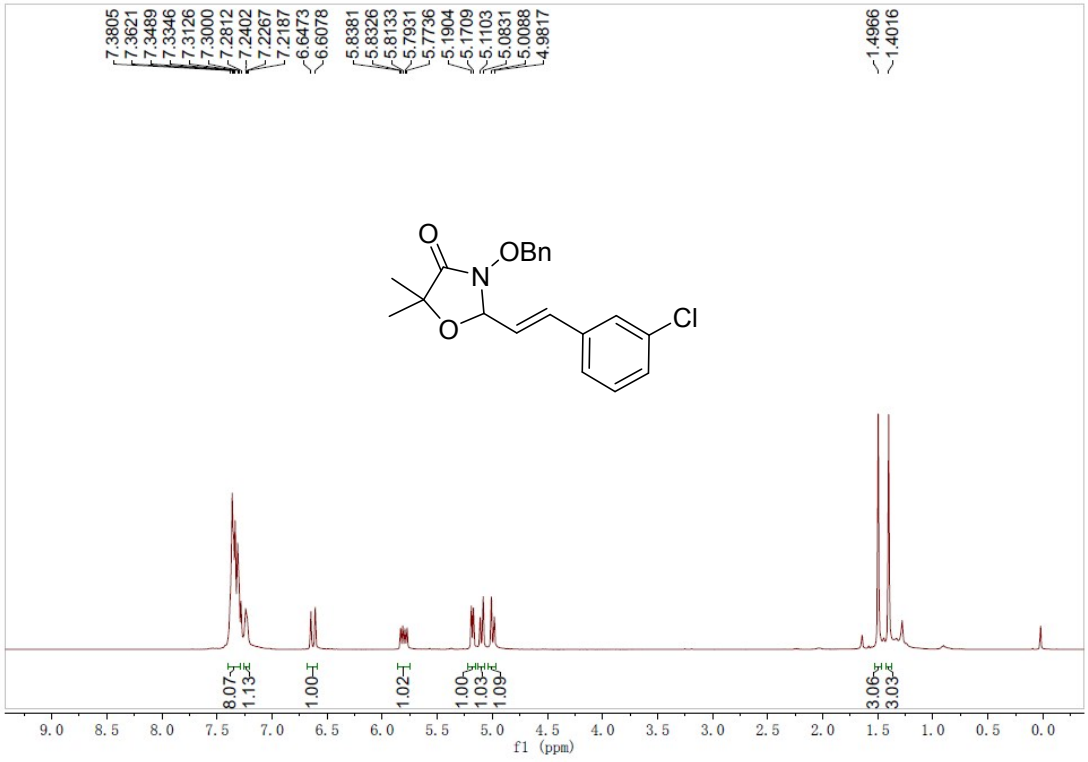
(E)-3-(Benzyloxy)-2-(4-fluorostyryl)-5,5-dimethyloxazolidin-4-one (3h)

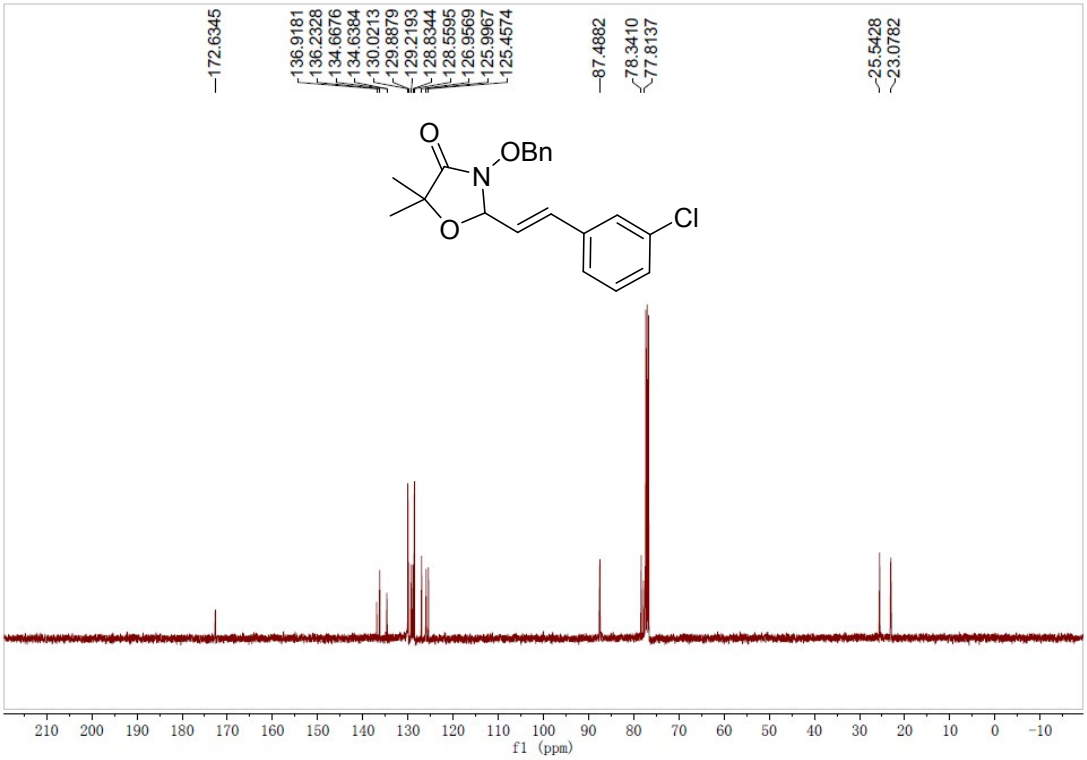



-112.0931
O
OBn
N
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

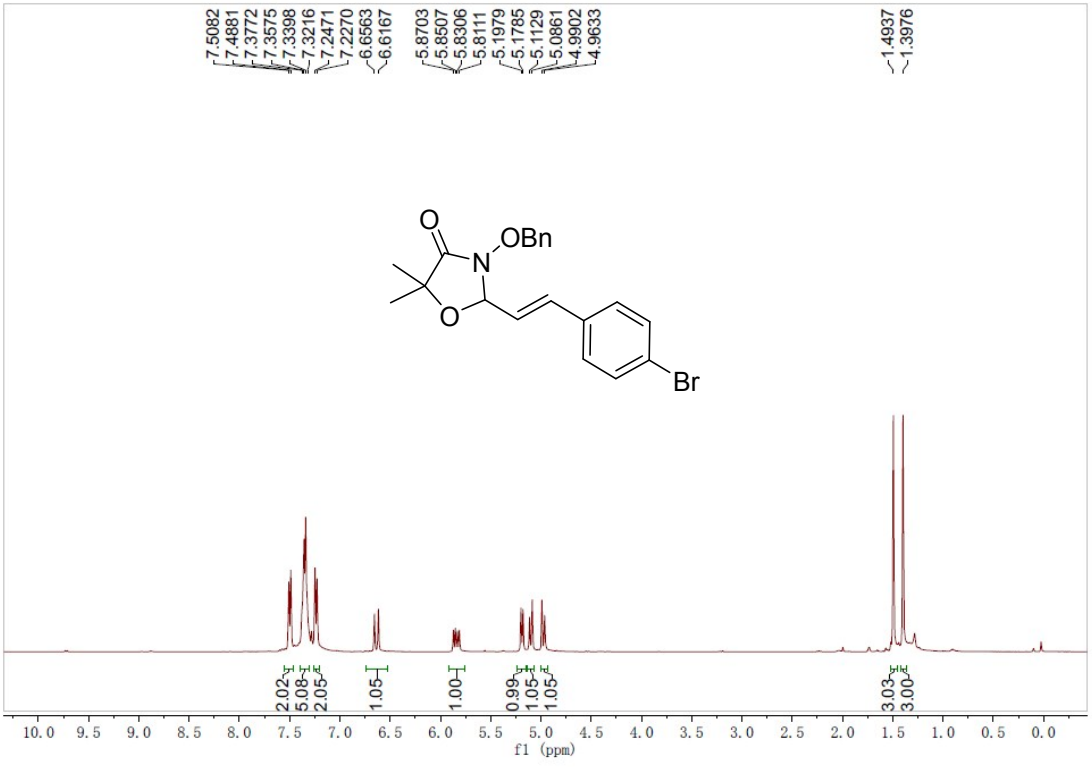

172.5252
164.2914
161.8176
136.6186
134.6771
131.3372
131.3042
129.9456
129.1426
128.8971
128.8147
128.5192
124.2228
124.2002
115.7842
115.5678
87.7578
78.3677
77.7344
25.5229
23.0622
O
OBn
N
O
F
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

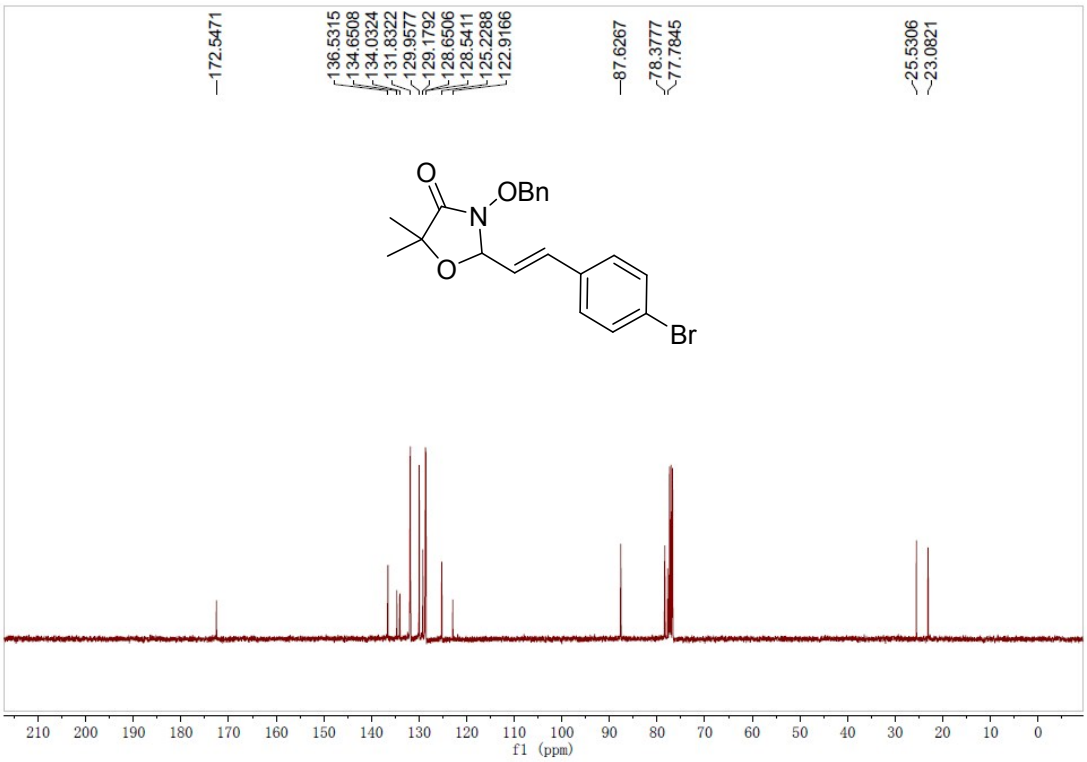
(E)-3-(Benzyloxy)-2-(3-chlorostyryl)-5,5-dimethyloxazolidin-4-one (3i)



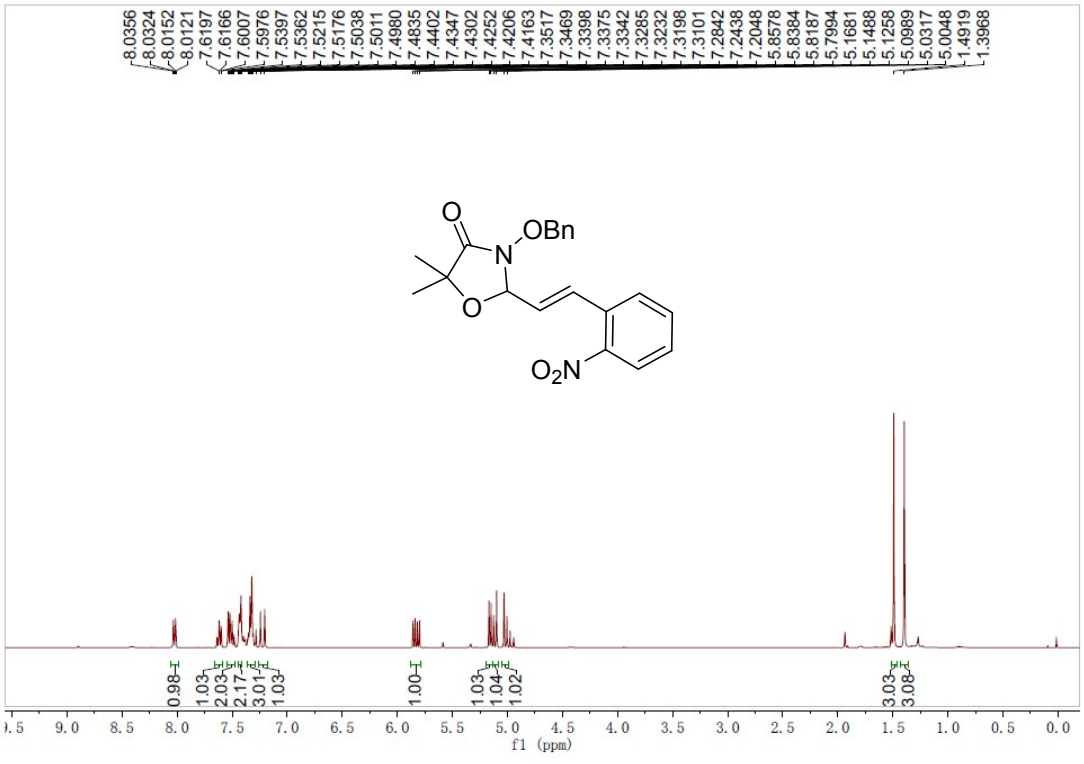


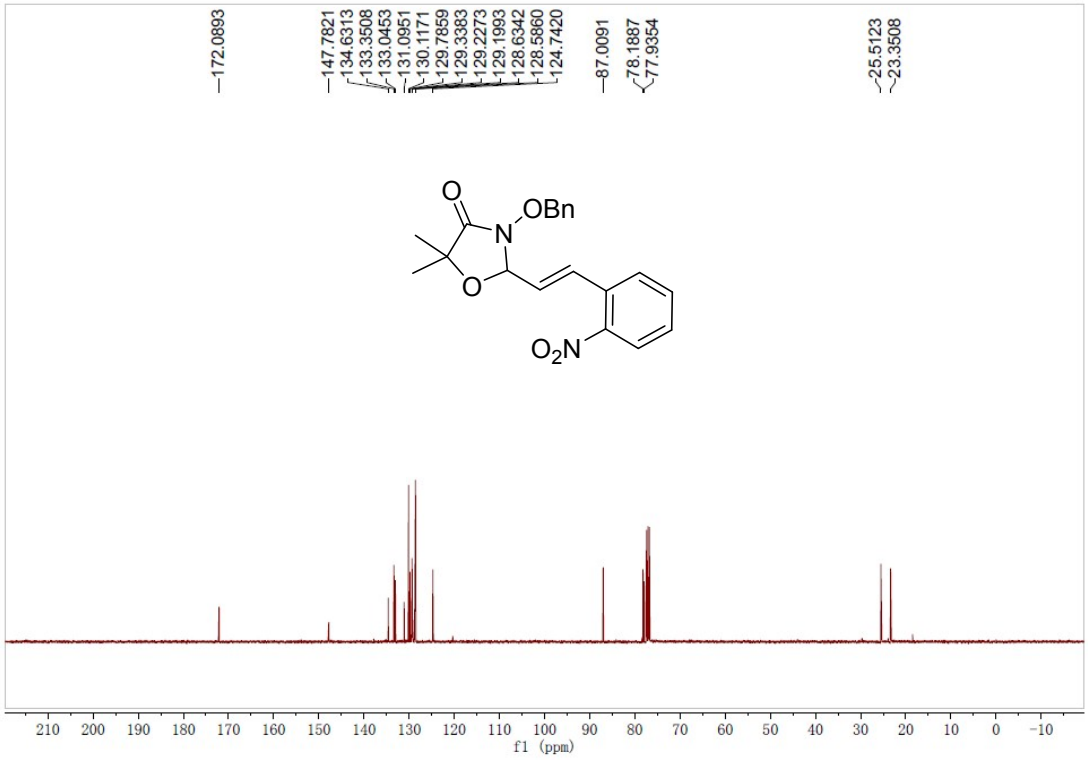
(E)-3-(Benzyloxy)-2-(4-bromostyryl)-5,5-dimethyloxazolidin-4-one (3j)



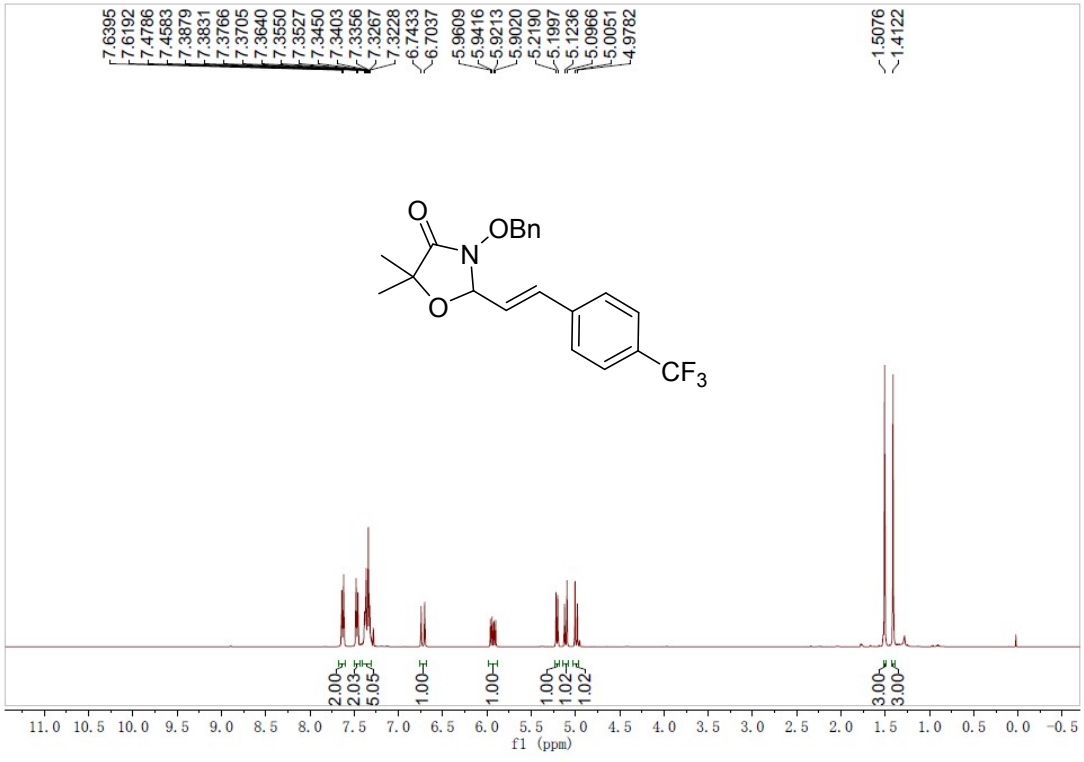


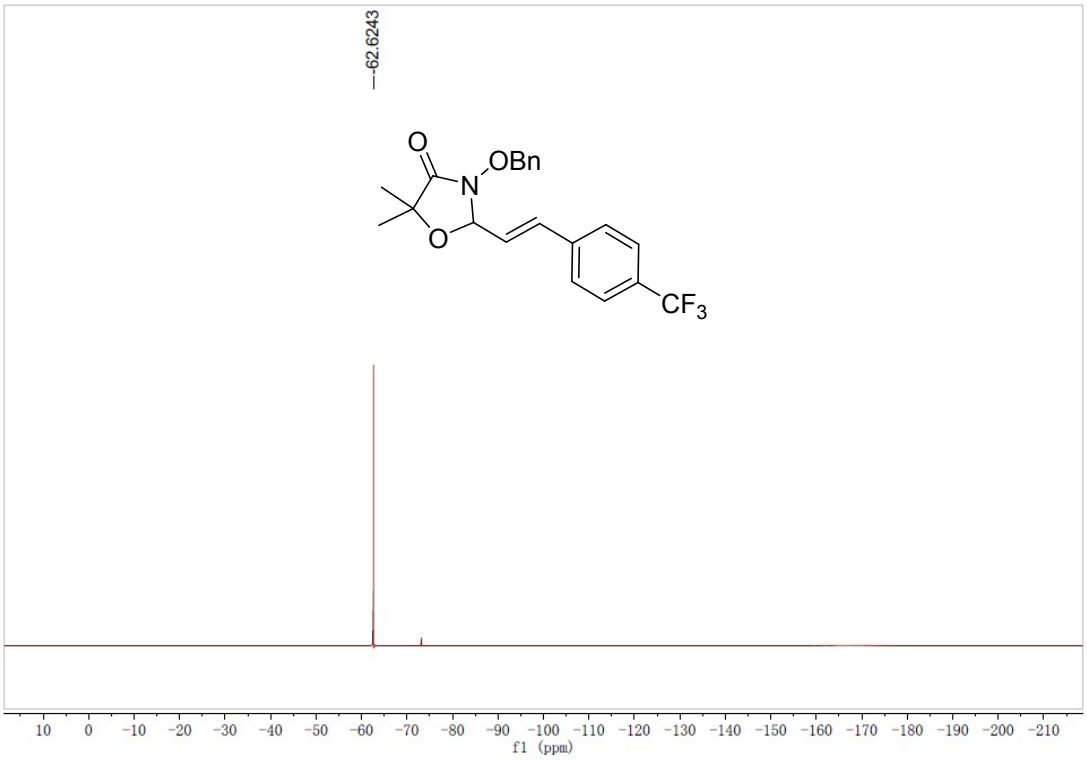
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-nitrostyryl)oxazolidin-4-one (3k)

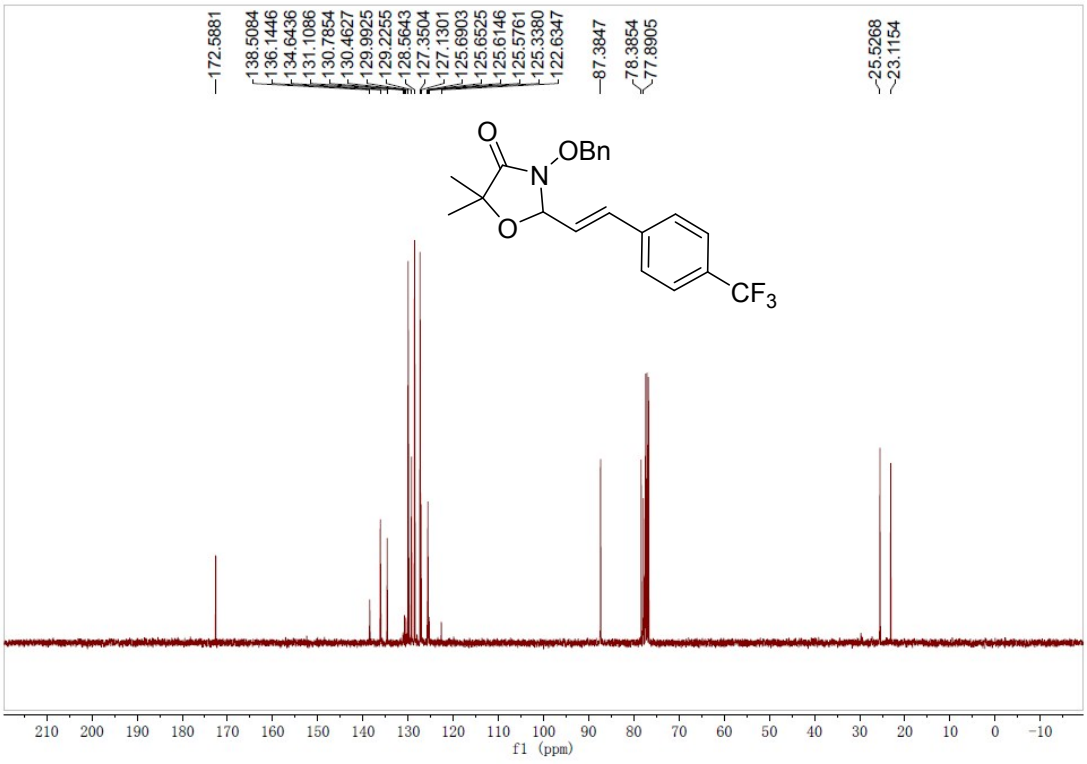




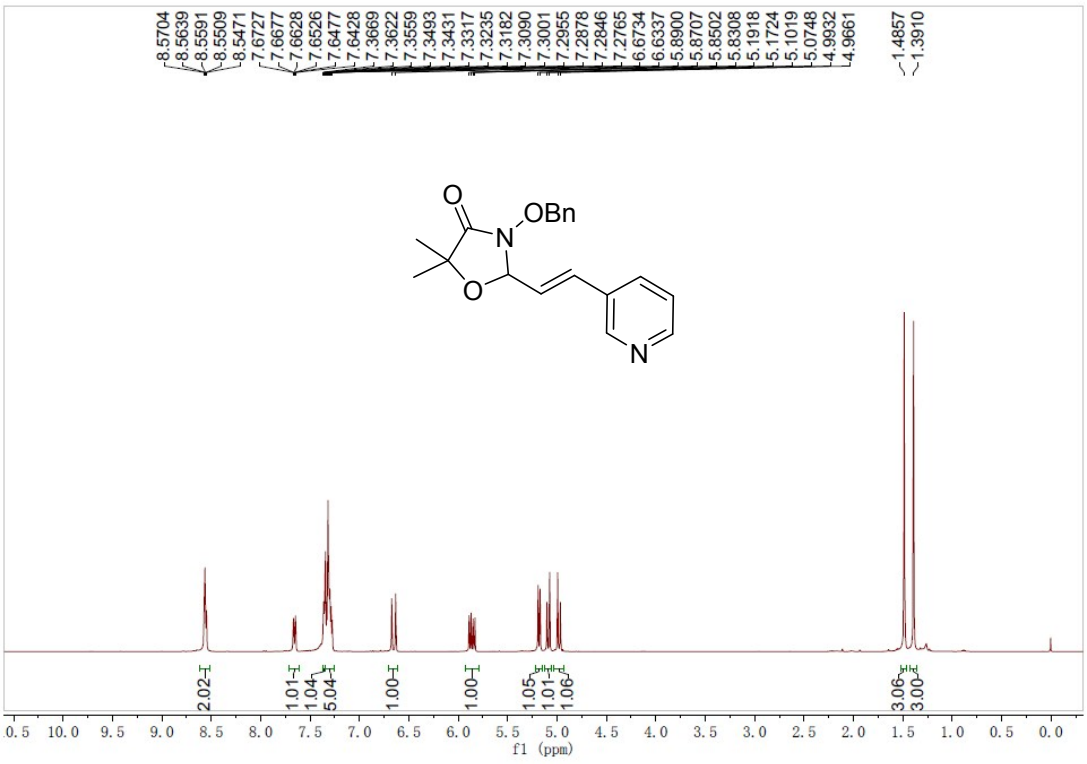
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(4-(trifluoromethyl)styryl)oxazolidin-4-one (3l)

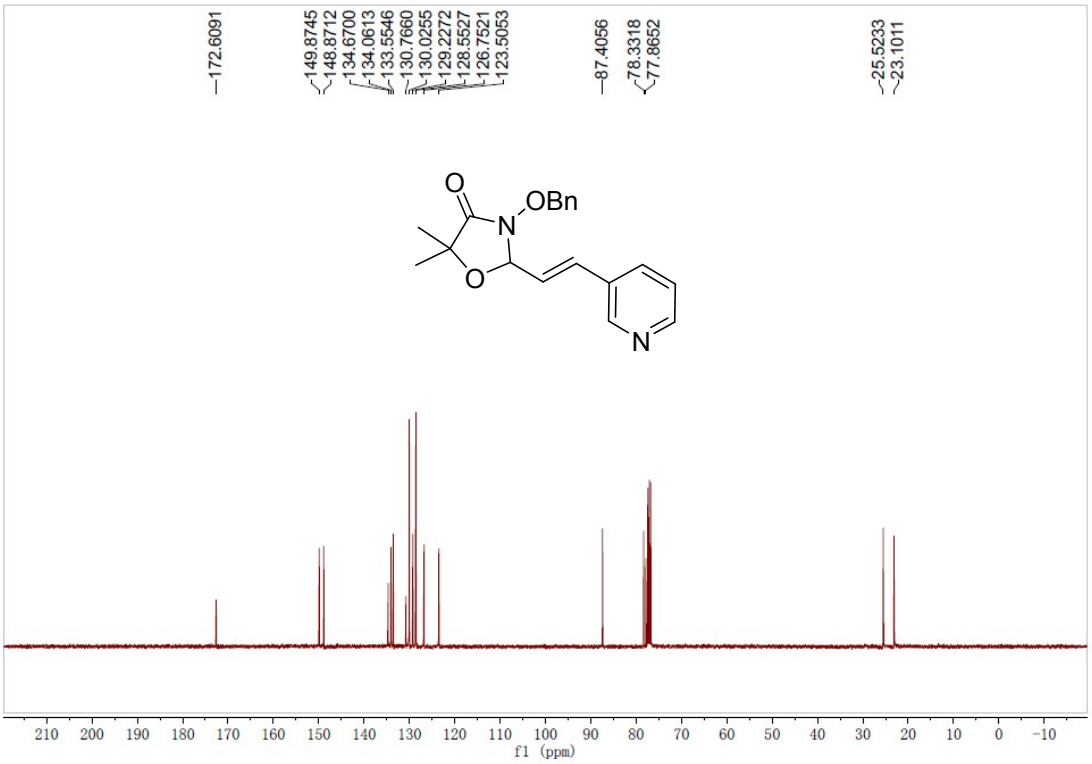



-62.6243
O
N
OBn
O
CF3
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

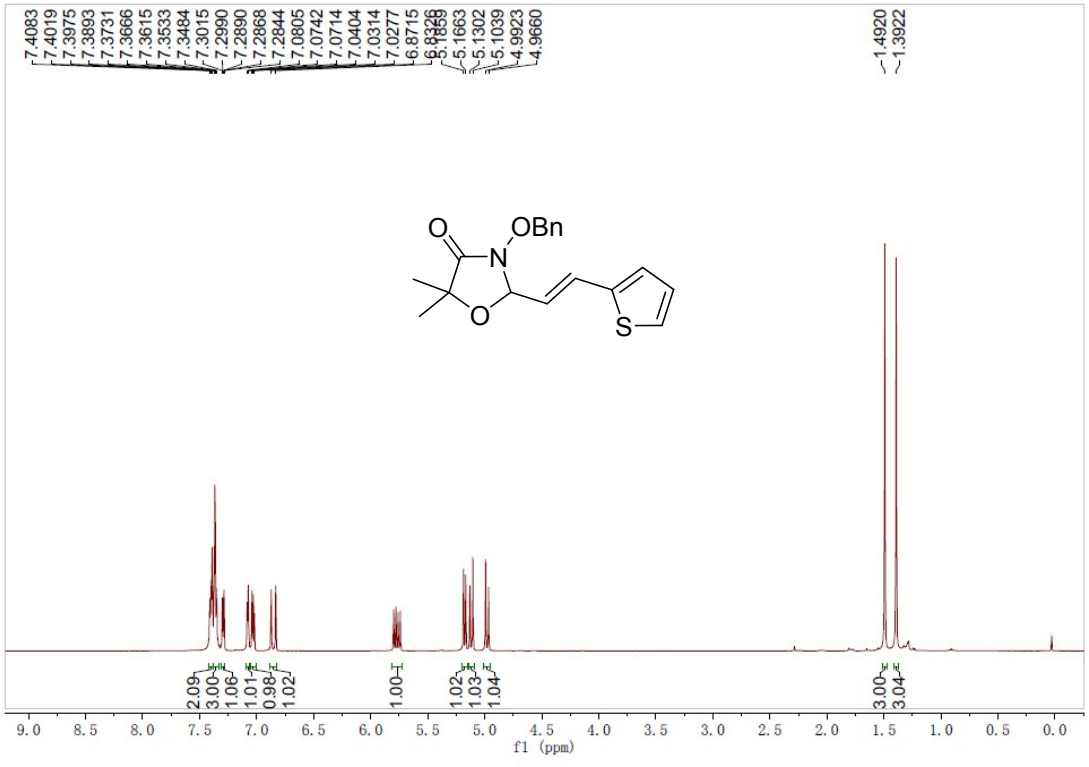

172.5881
138.5084
136.1446
134.6436
131.1086
130.7854
130.4627
129.9925
129.2255
128.5643
127.3504
127.1301
125.6903
125.6525
125.6146
125.5761
125.3380
122.6347
87.3847
78.3854
77.8905
25.5268
23.1154
O
N
OBn
O
CF3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

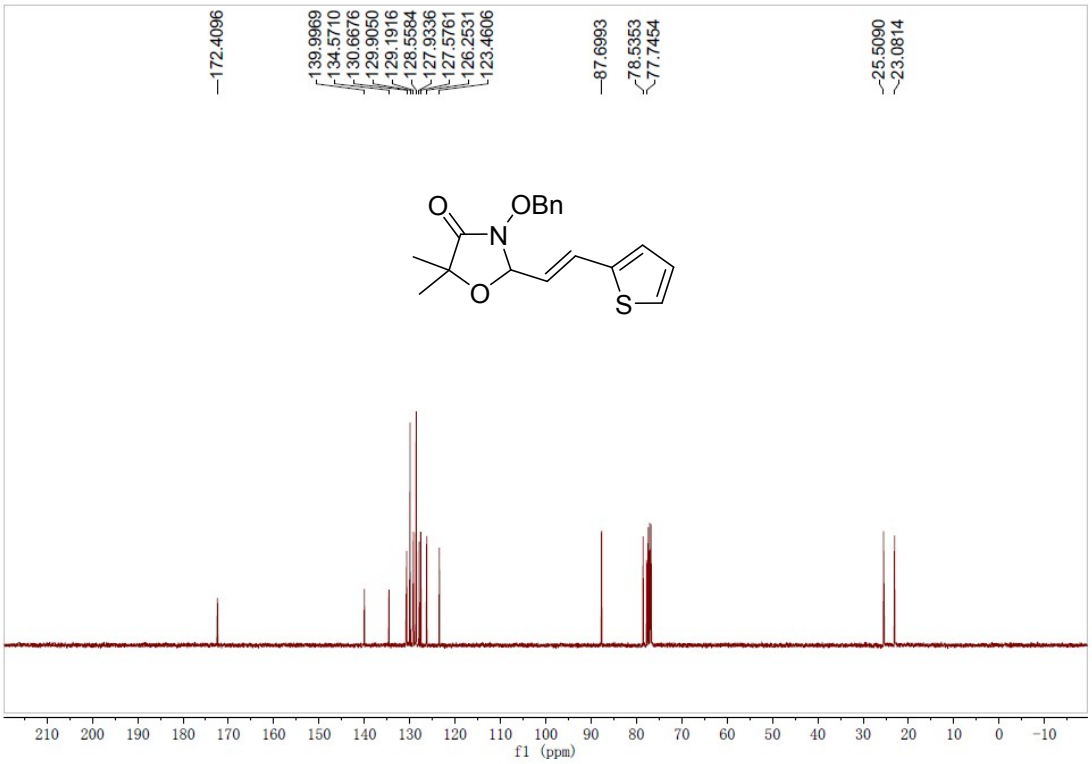
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-(pyridin-3-yl)vinyl)oxazolidin-4-one (3m)



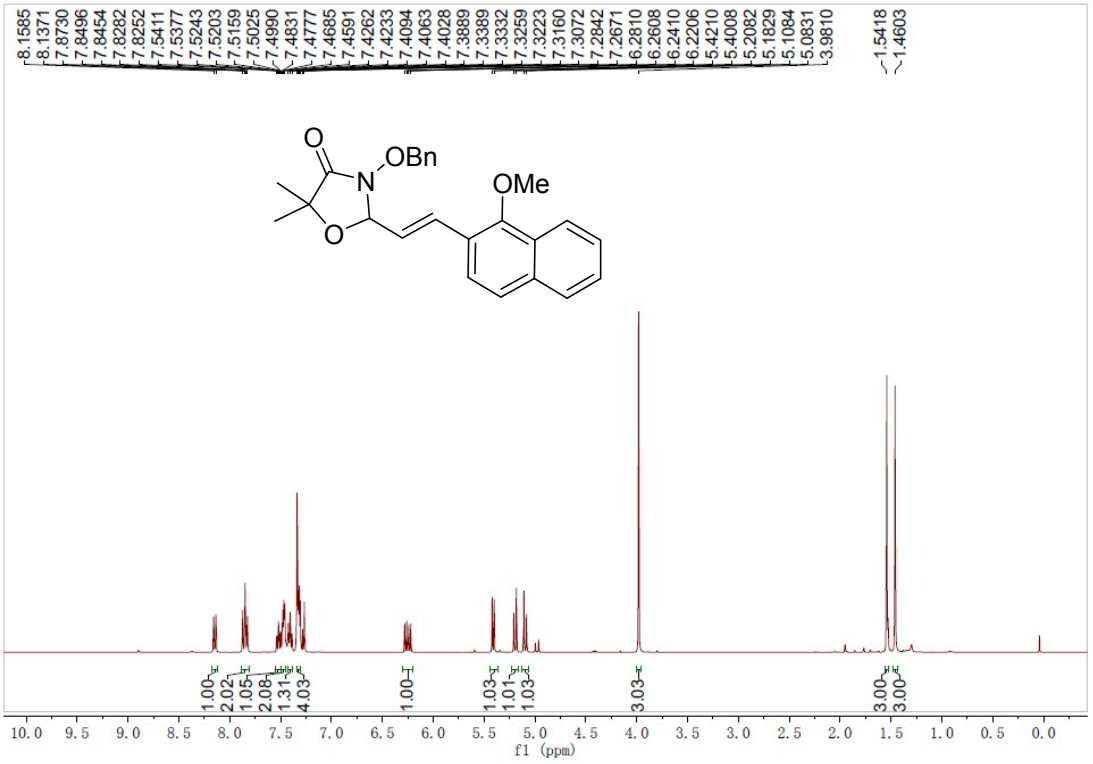


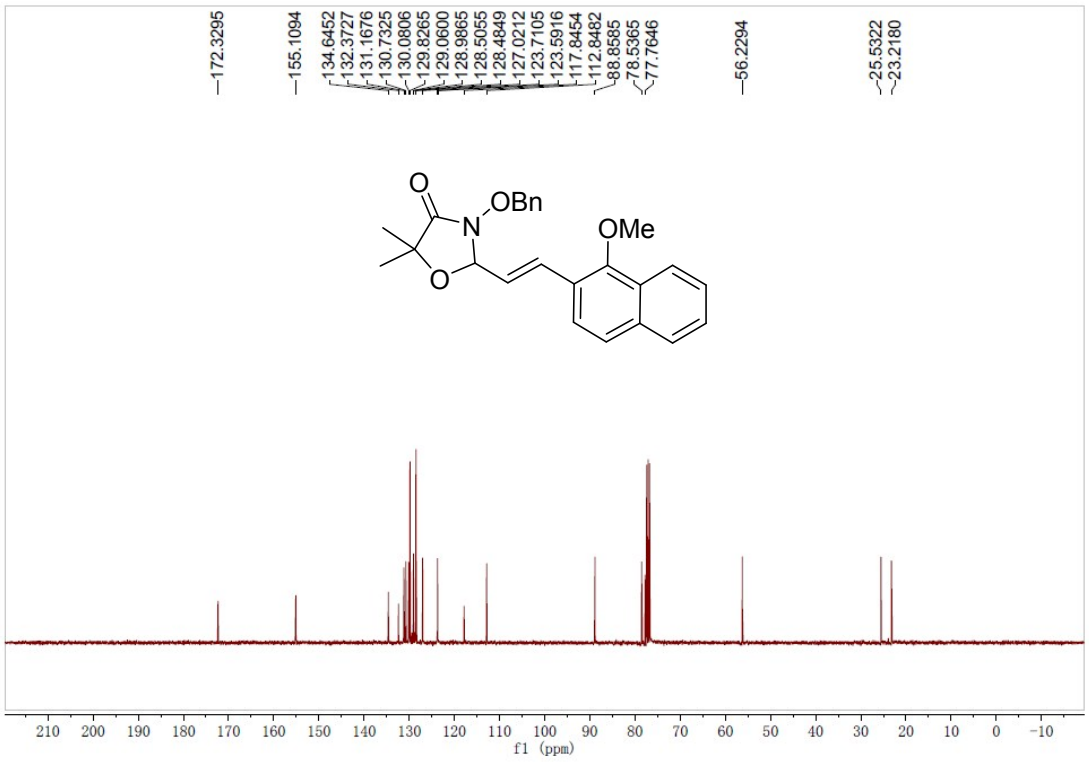
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-(thiophen-2-yl)vinyl)oxazolidin-4-one (3n)



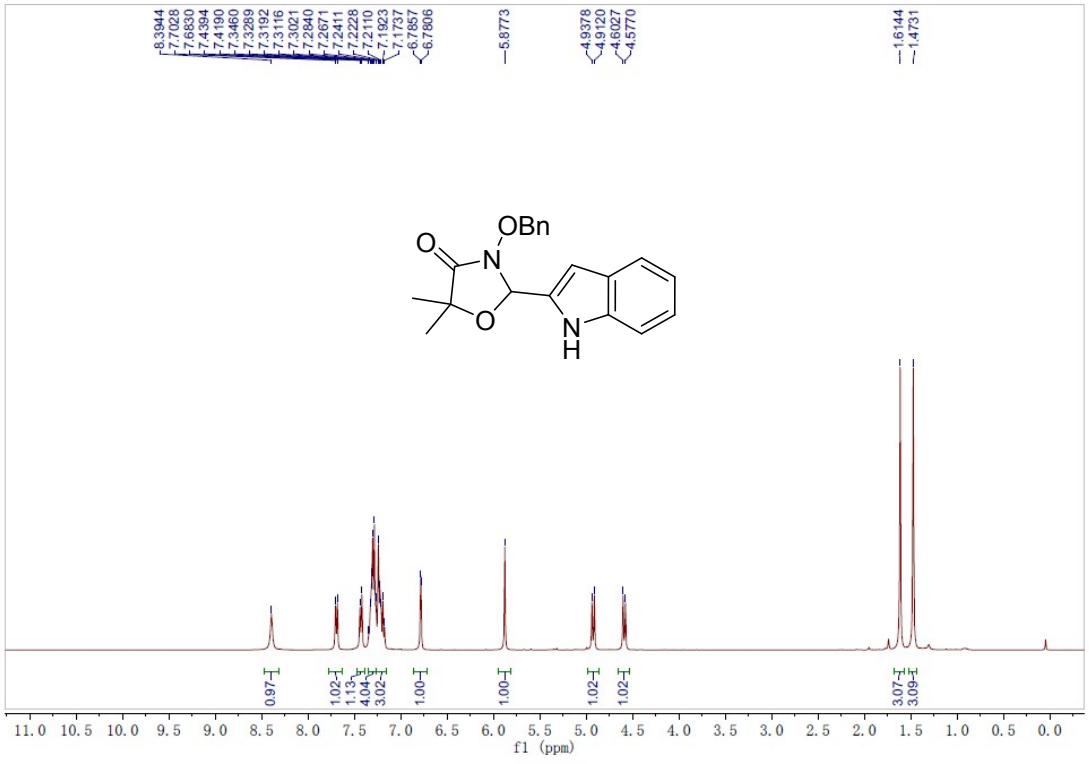


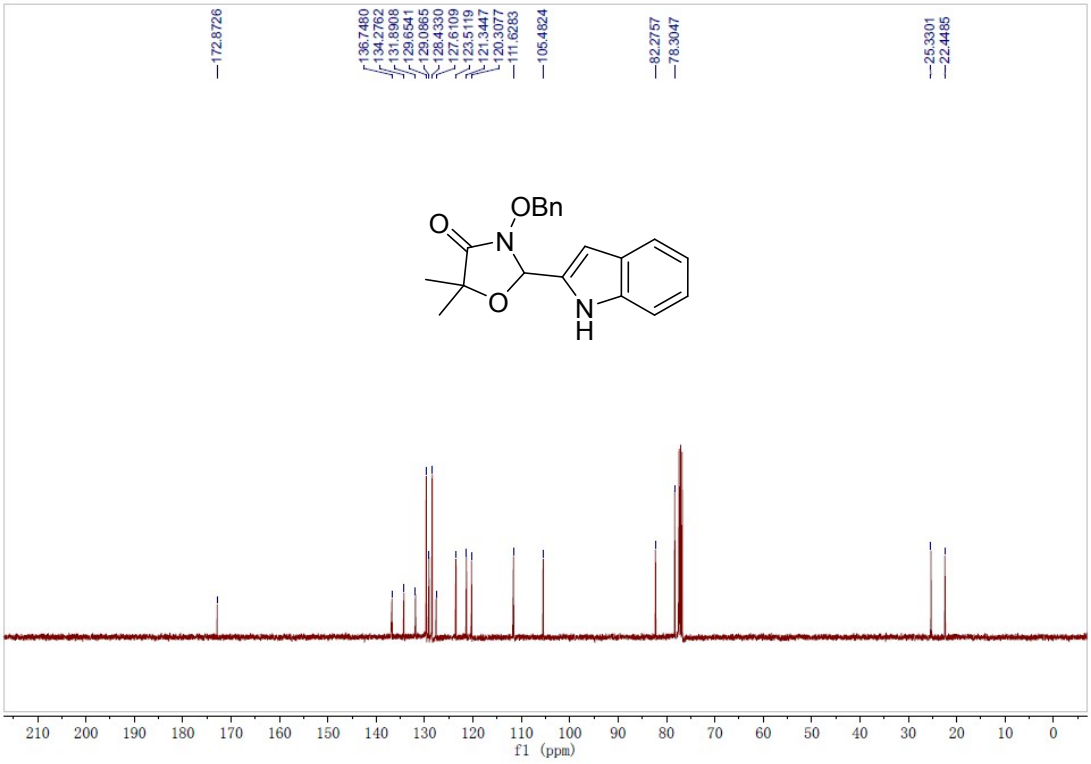
(E)-3-(Benzyloxy)-2-(2-(1-methoxynaphthalen-2-yl)vinyl)-5,5-dimethyloxazolidin-4-one (3o)



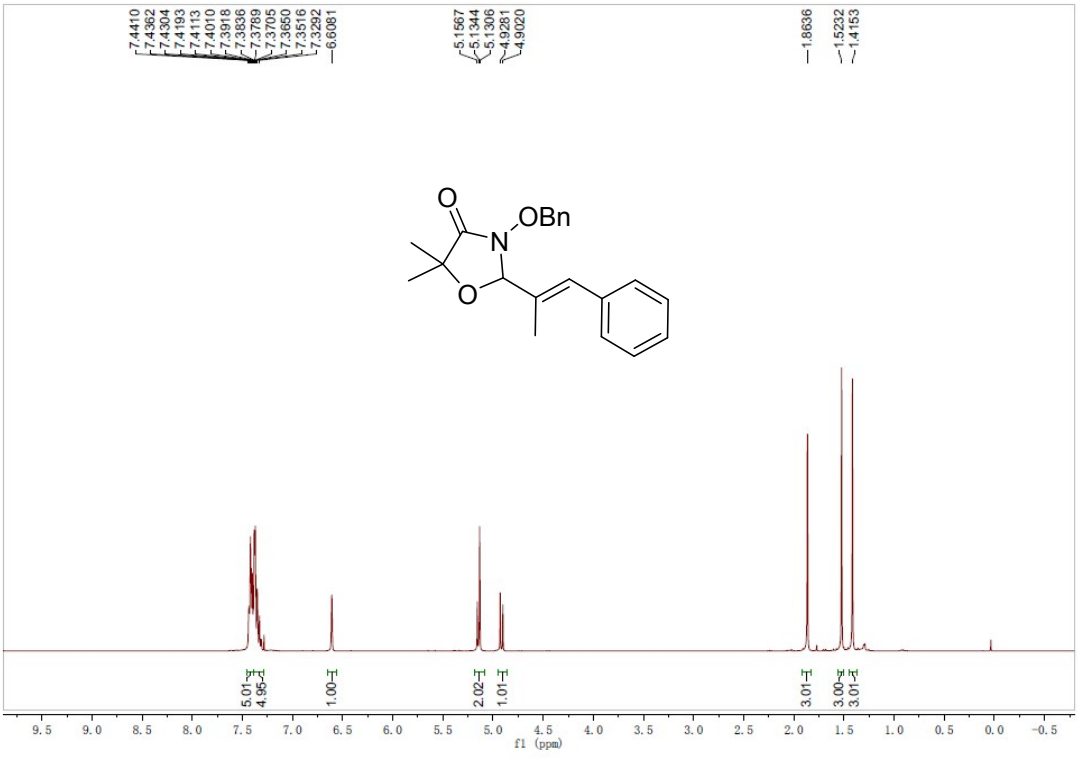


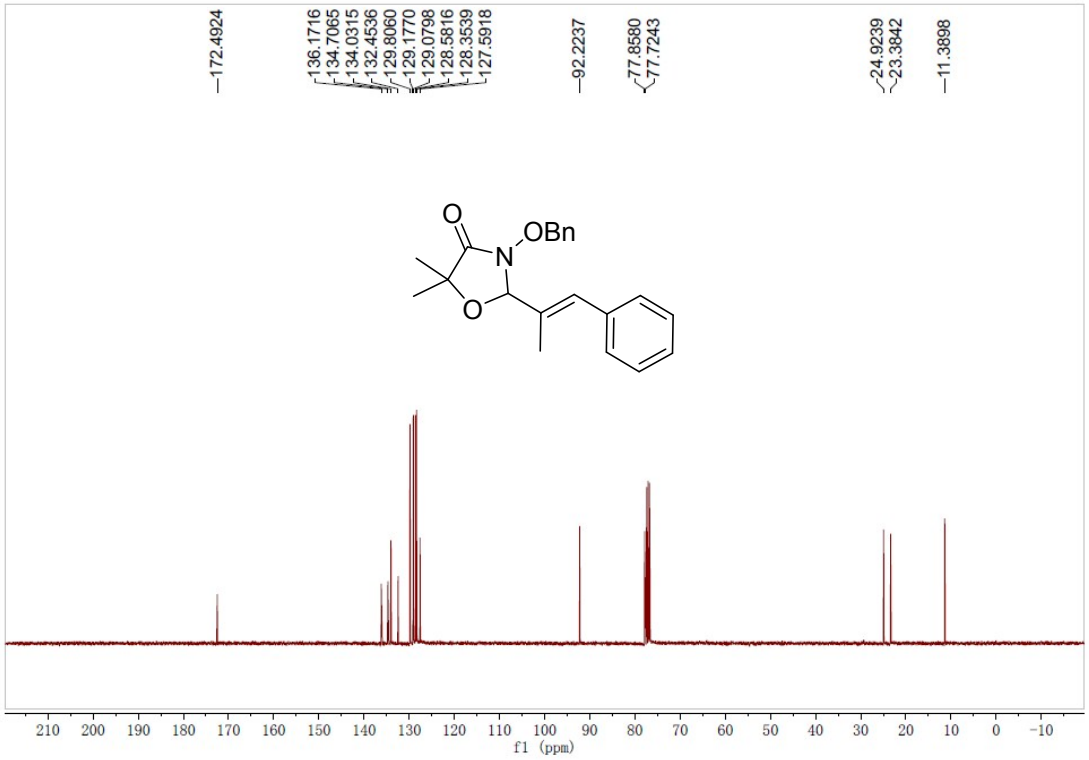
3-(Benzyloxy)-2-(1H-indol-2-yl)-5,5-dimethyloxazolidin-4-one (3p)



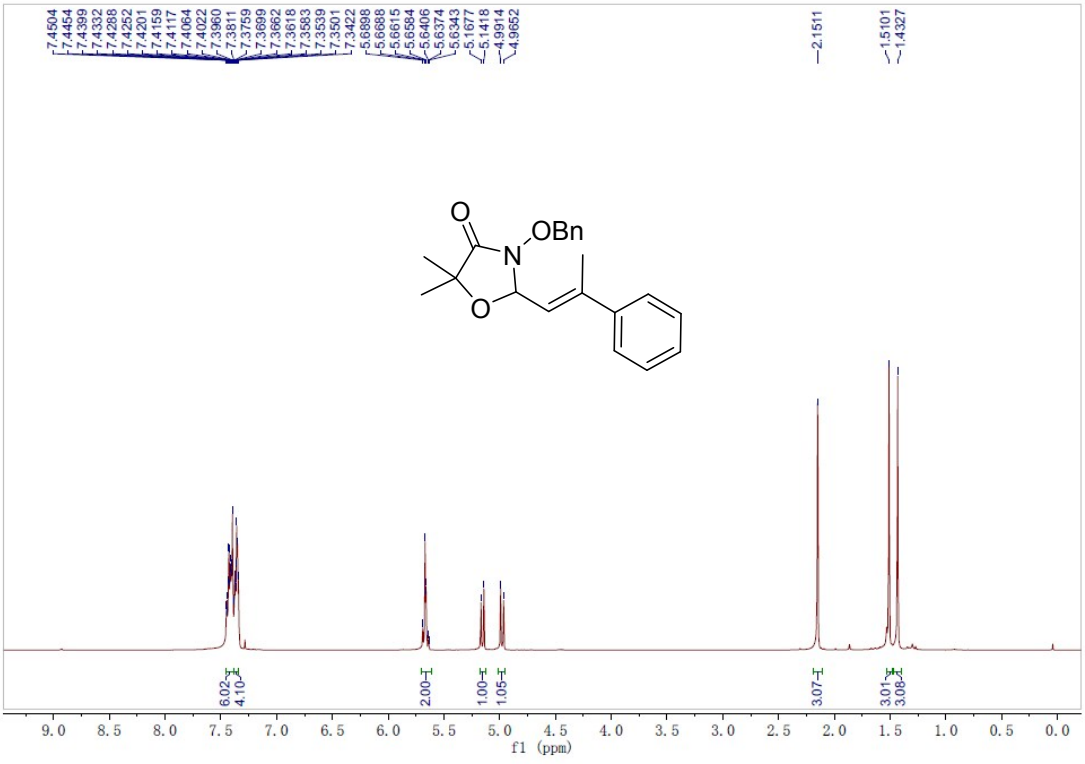


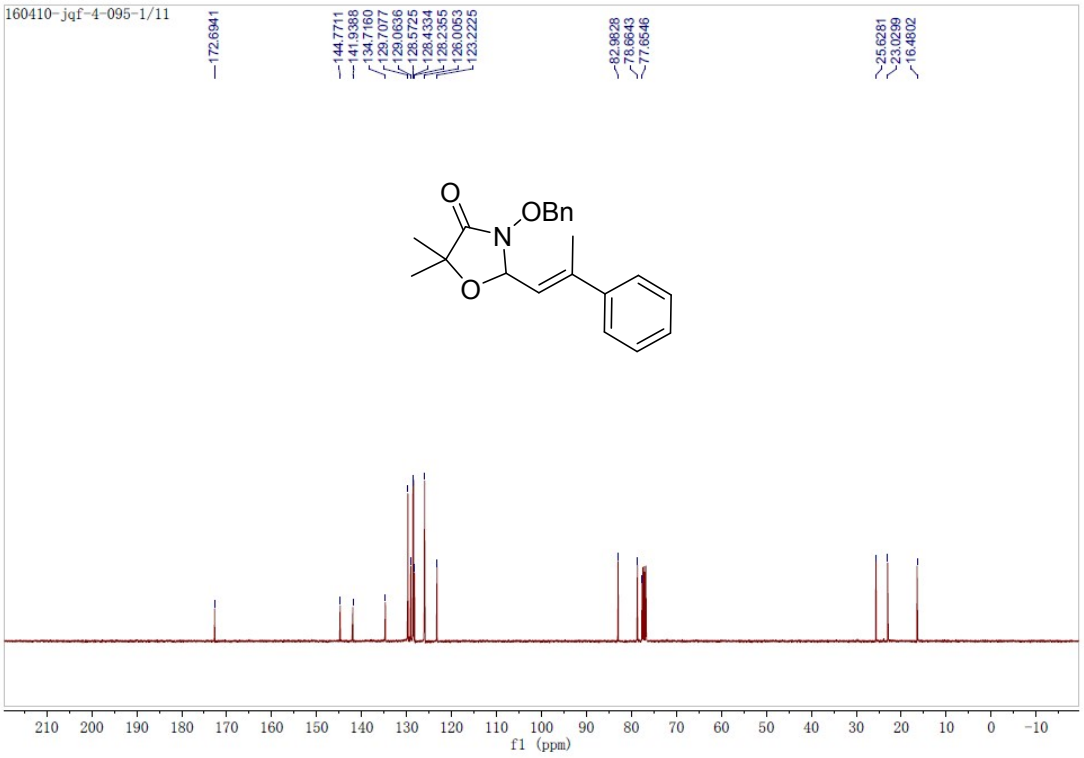
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(1-phenylprop-1-en-2-yl)oxazolidin-4-one (3q)



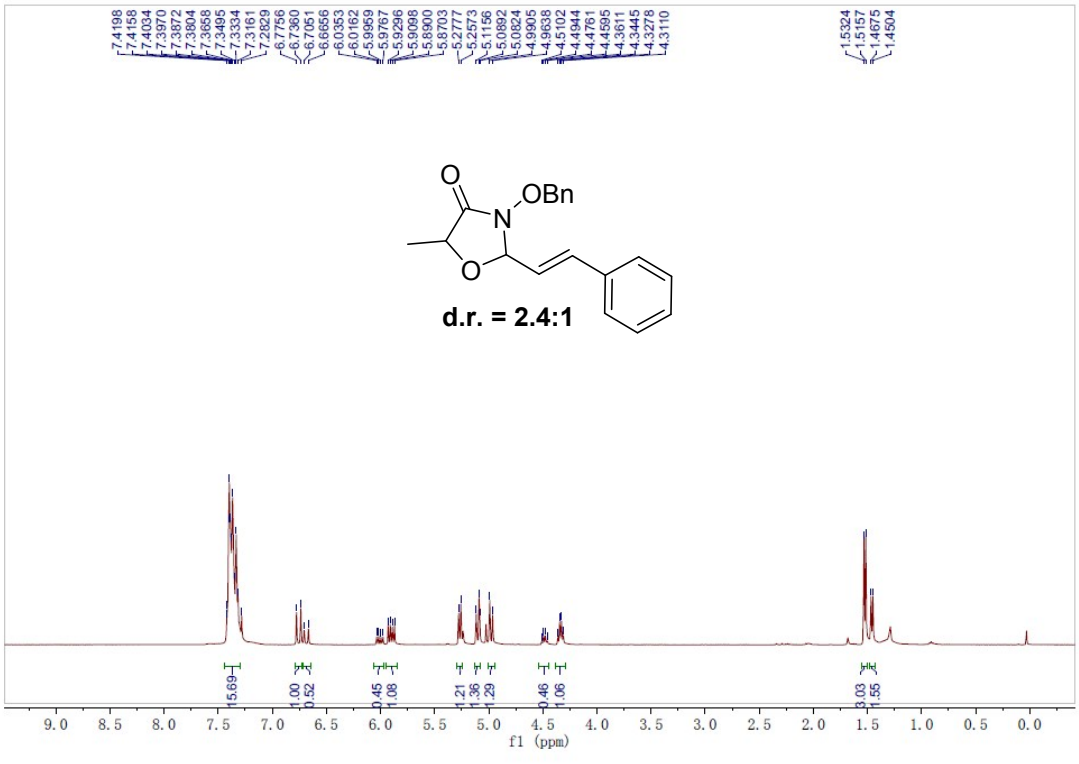


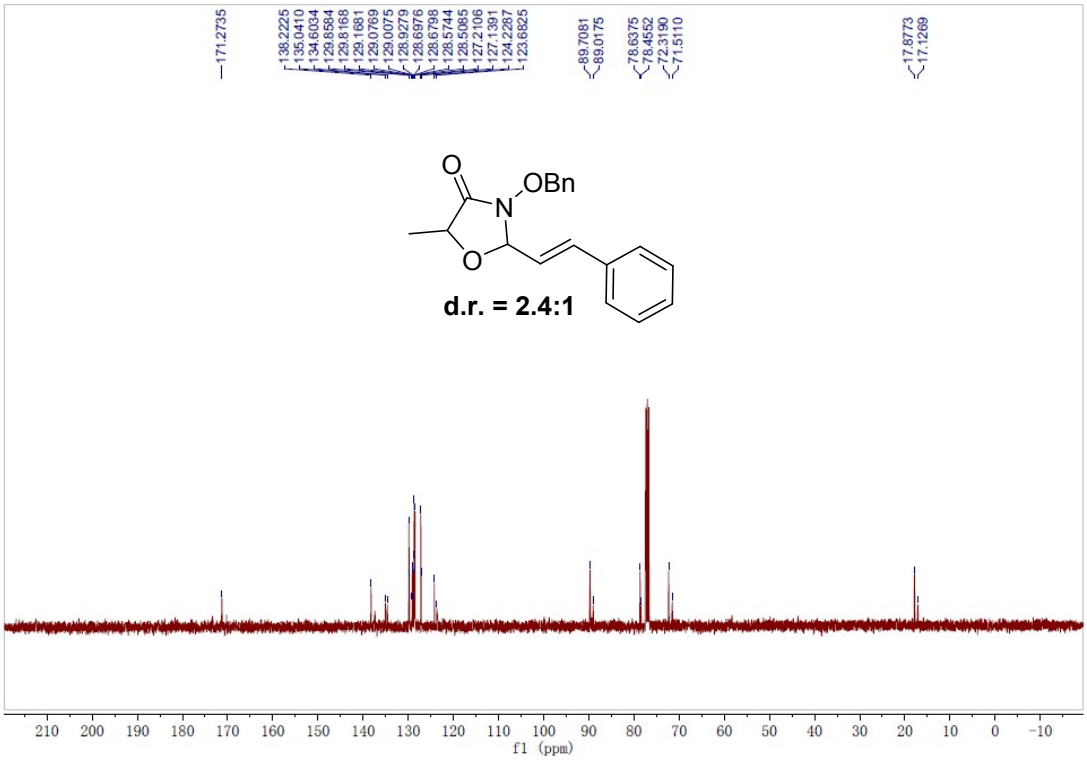
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(2-phenylprop-1-en-1-yl)oxazolidin-4-one (3r)



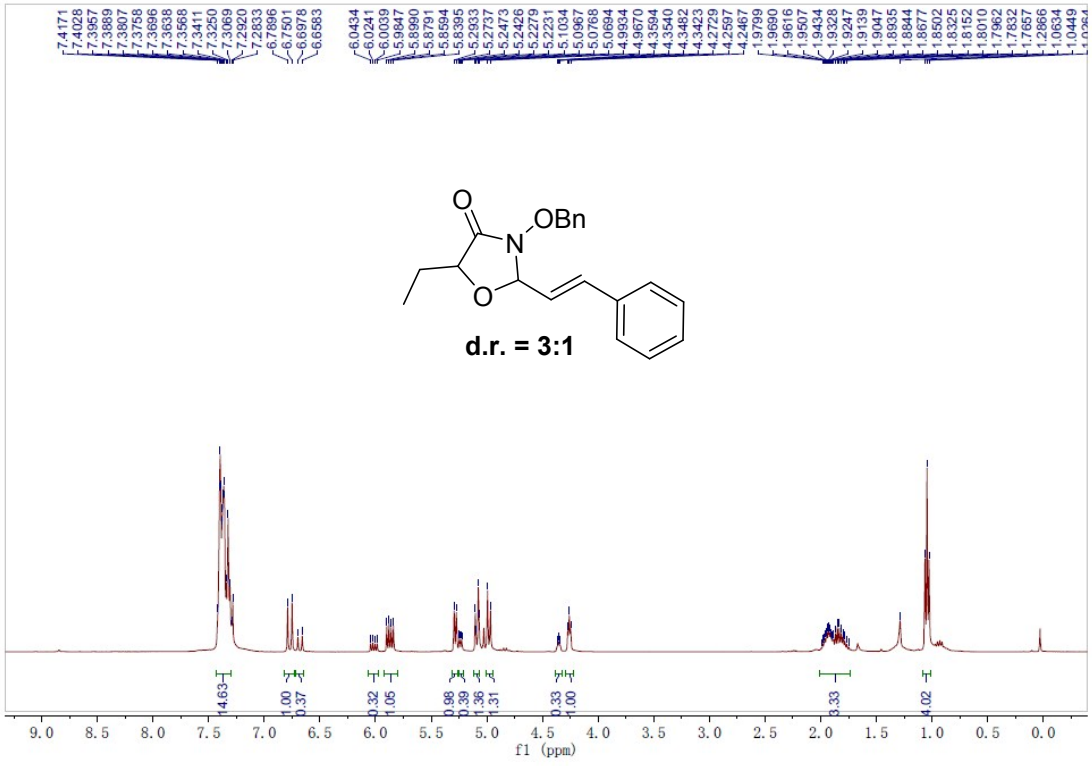


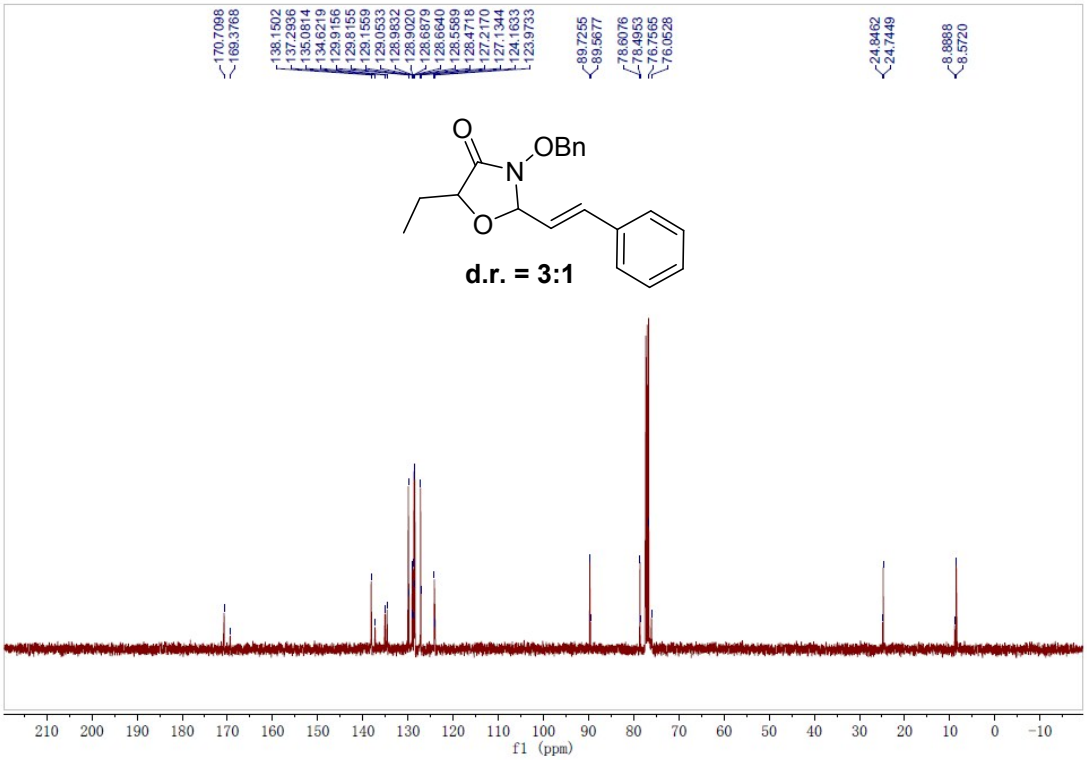
(E)-3-(Benzyloxy)-5-methyl-2-styryloxazolidin-4-one (3s)



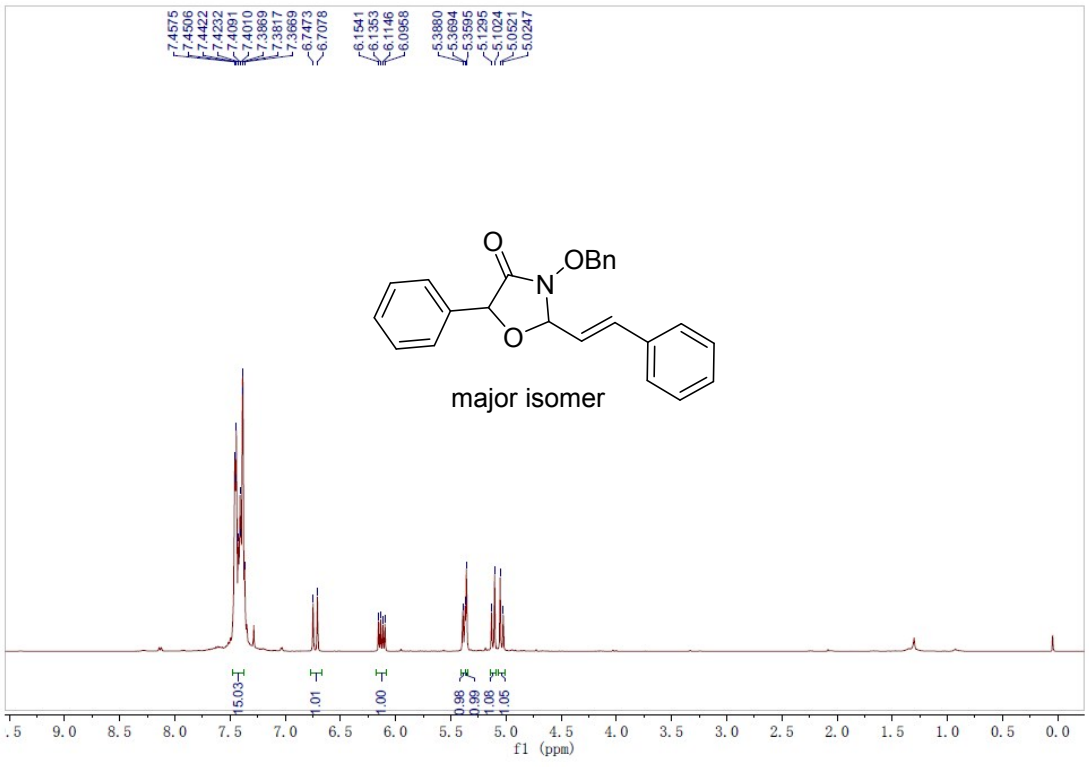


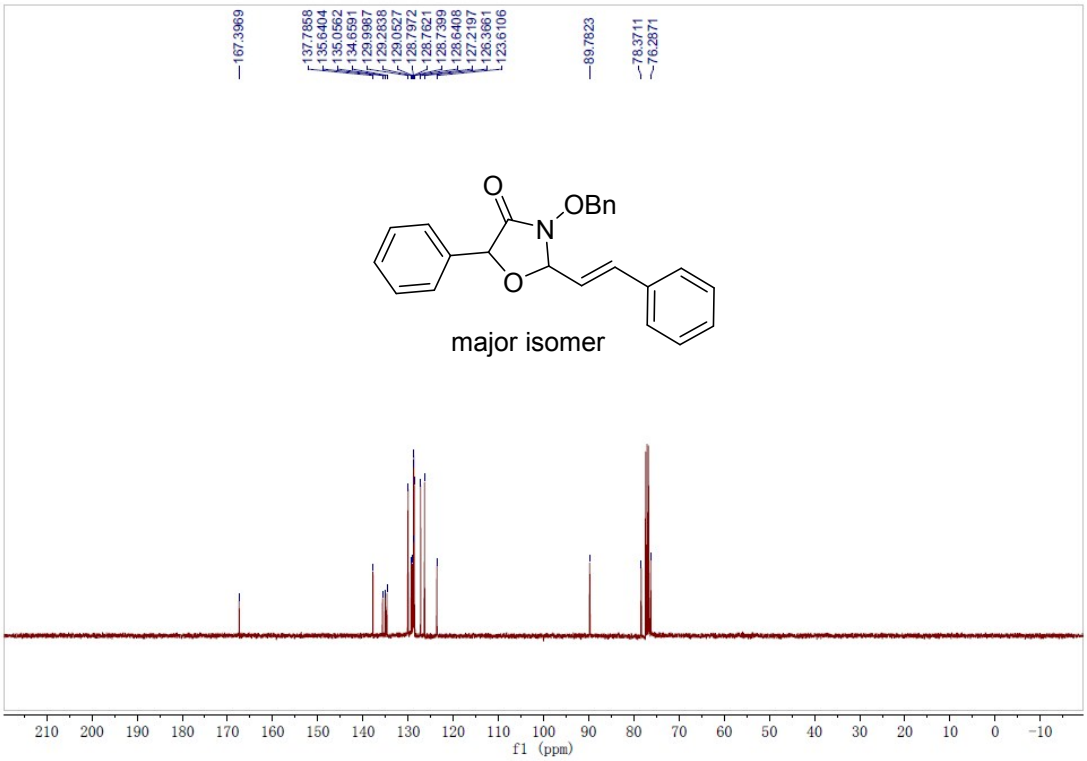
(E)-3-(Benzyloxy)-5-ethyl-2-styryloxazolidin-4-one (3t)



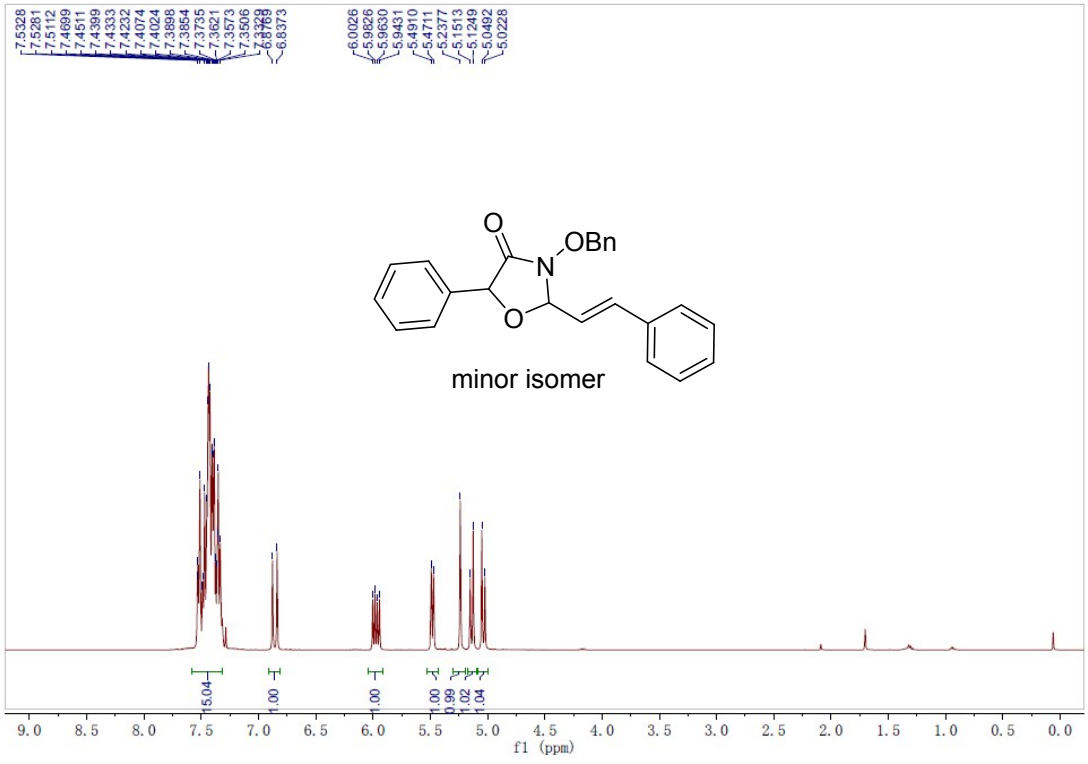


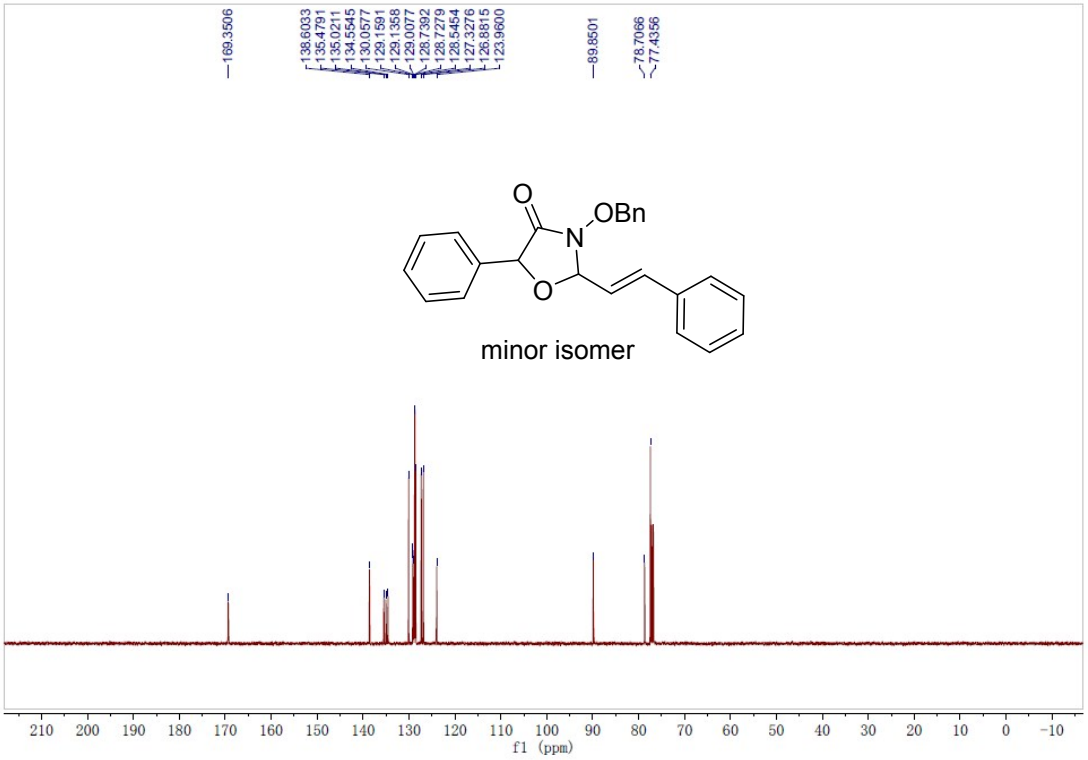
(E)-3-(Benzyloxy)-5-phenyl-2-styryloxazolidin-4-one（3u）



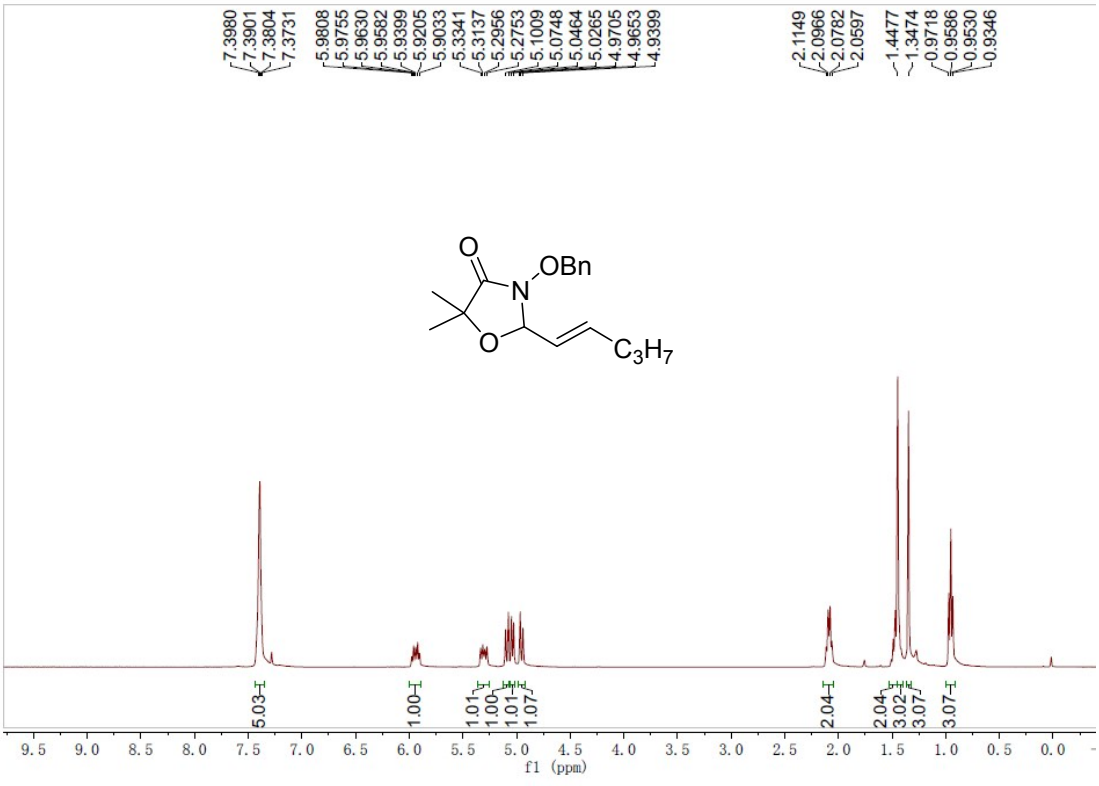


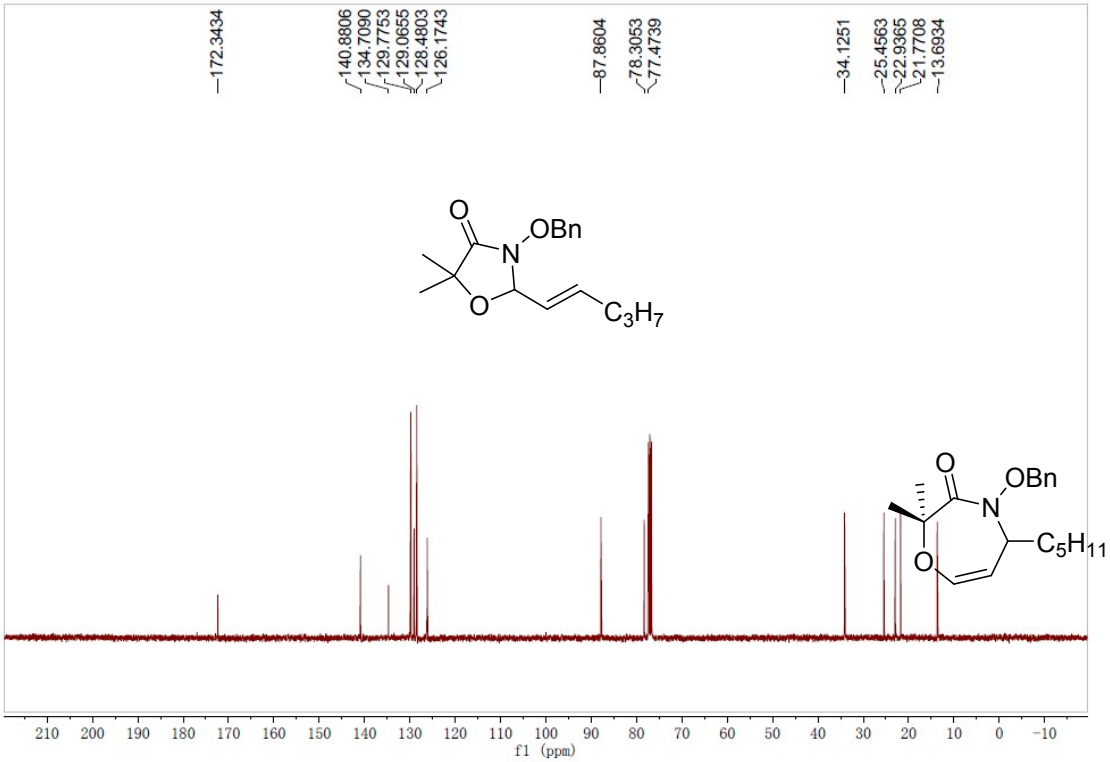
(E)-3-(Benzyloxy)-5-phenyl-2-styryloxazolidin-4-one（3u’）



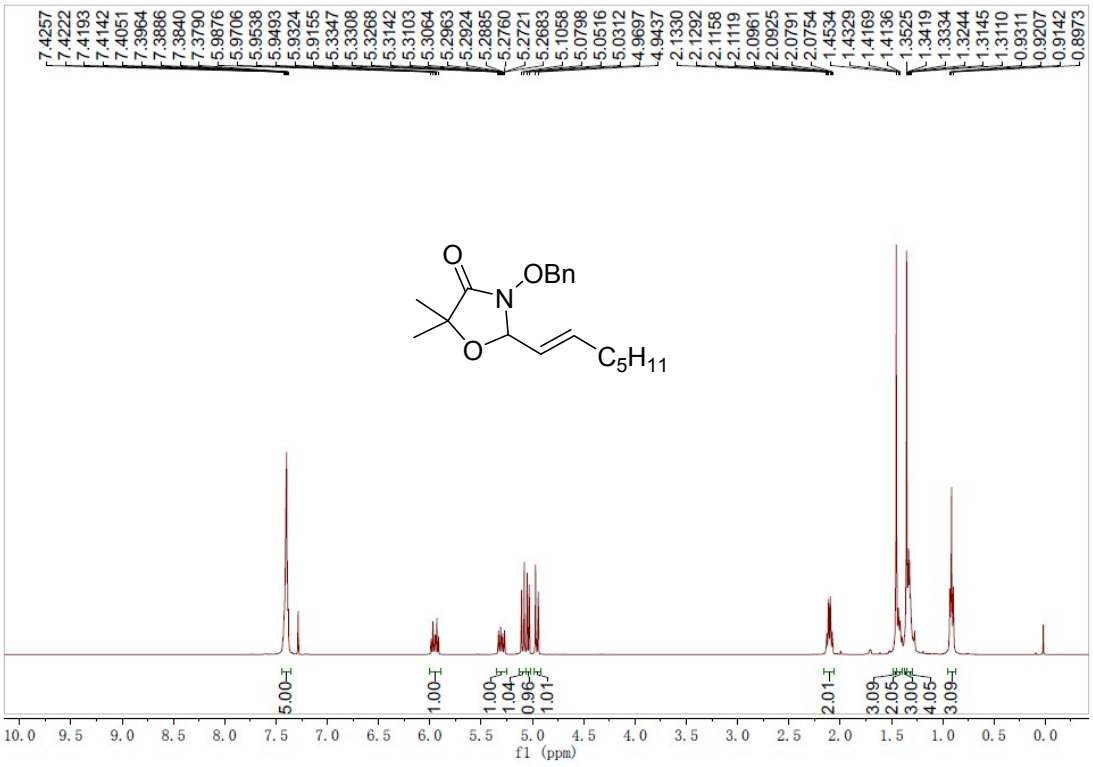


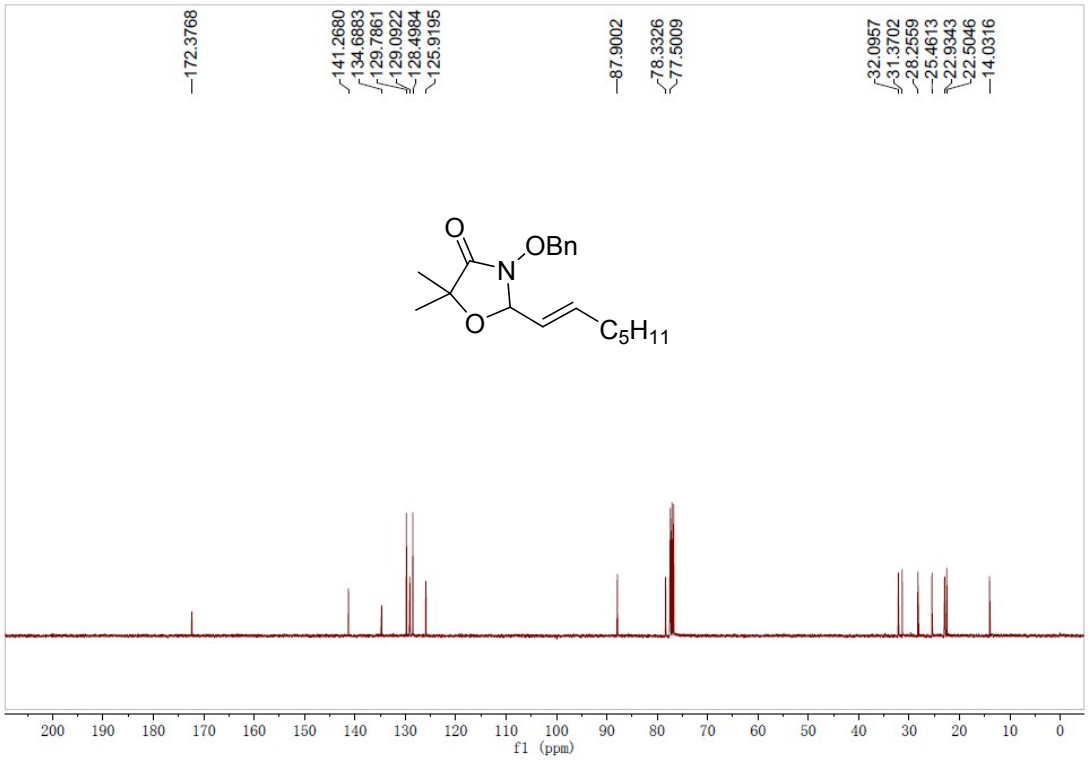
(E)-3-(Benzyloxy)-5,5-dimethyl-2-(pent-1-en-1-yl)oxazolidin-4-one (5a)



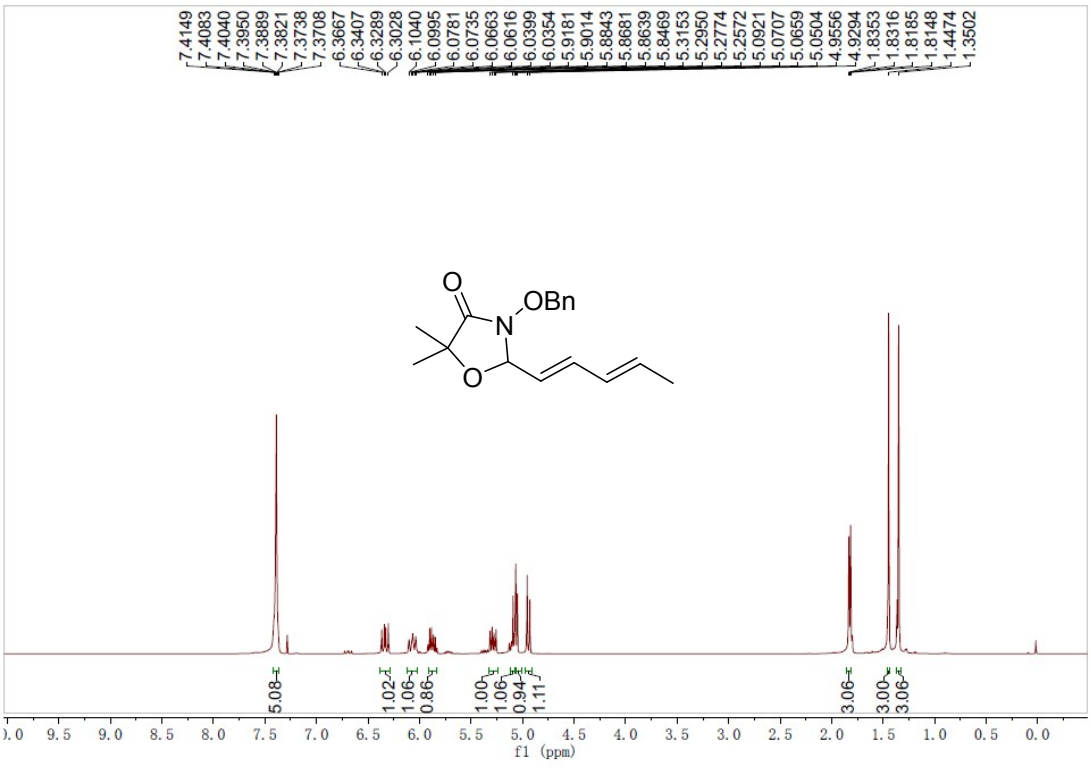


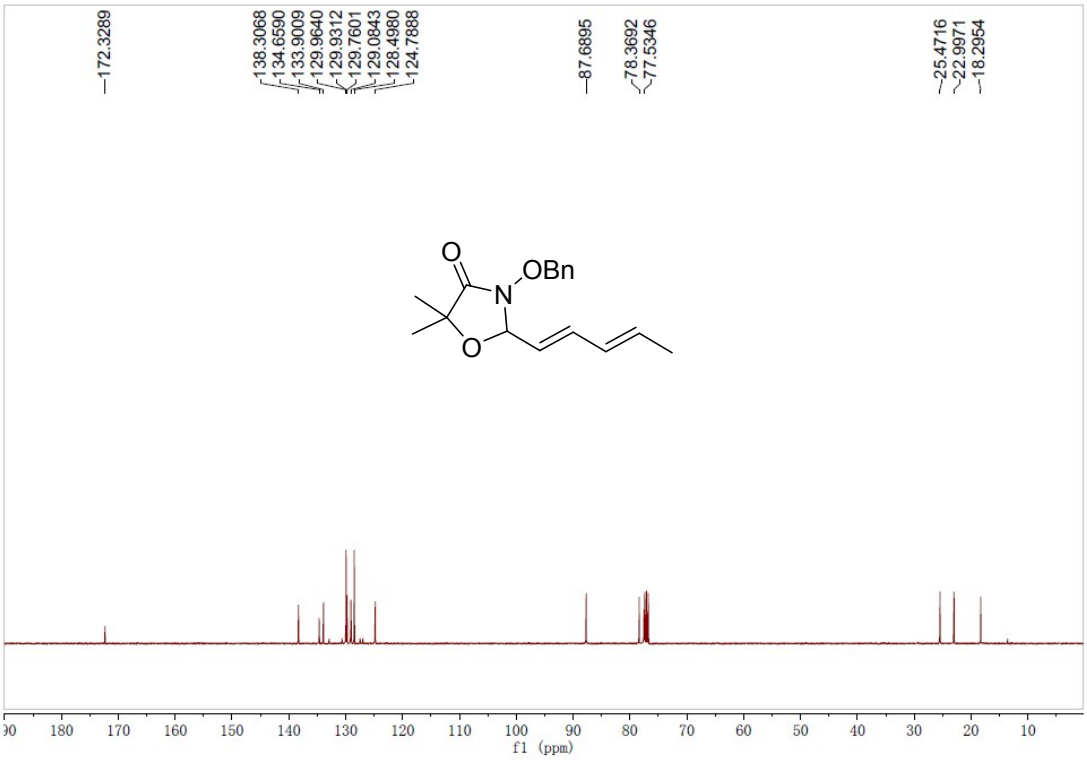
(E)-3-(Benzyloxy)-2-(hept-1-en-1-yl)-5,5-dimethyloxazolidin-4-one (5b)



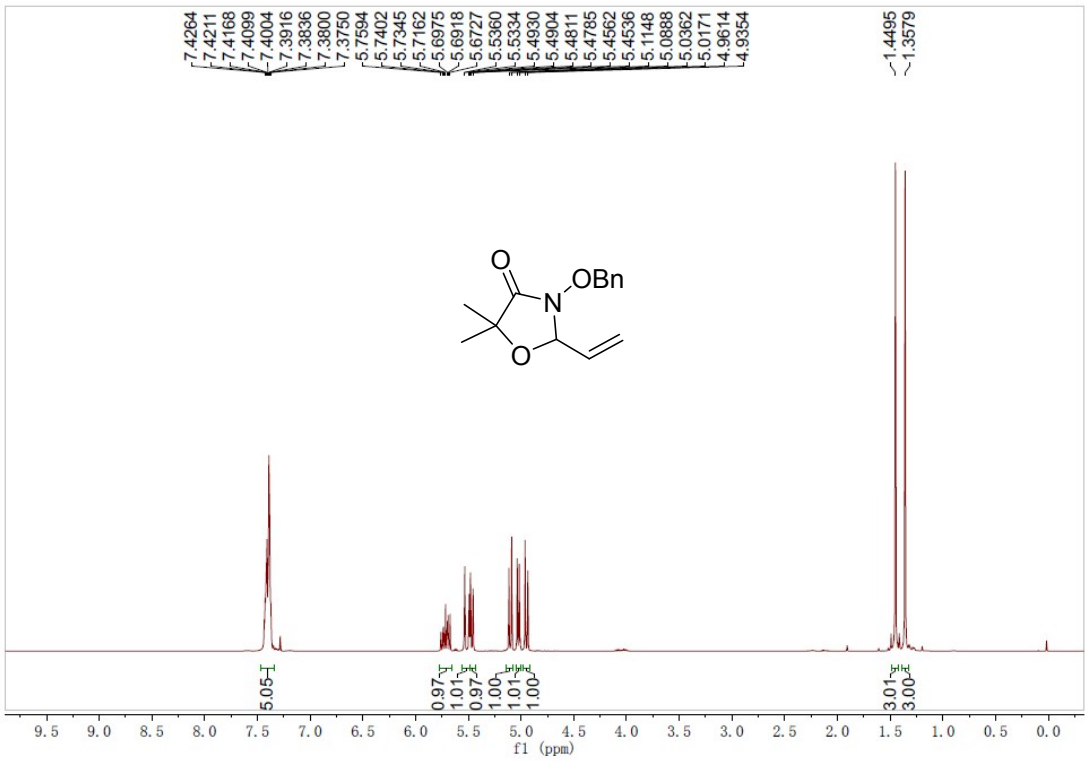


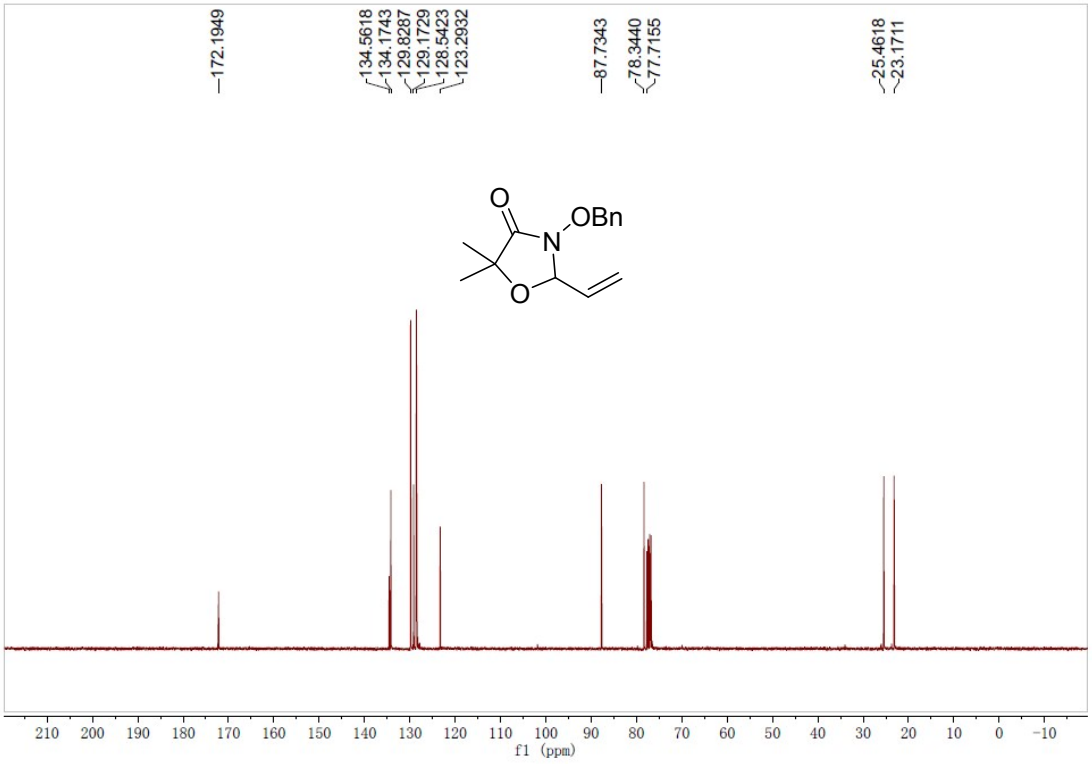
3-(Benzyloxy)-5,5-dimethyl-2-((1E,3E)-penta-1,3-dien-1-yl)oxazolidin-4-one (5c)



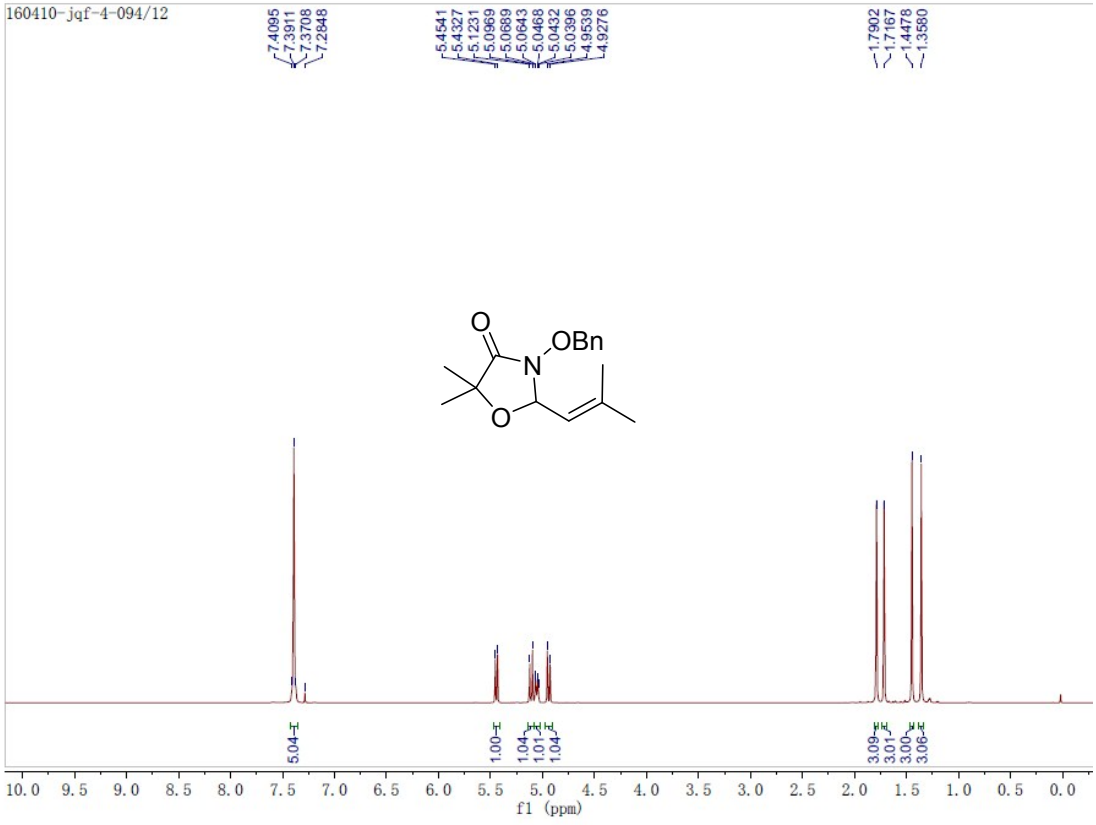


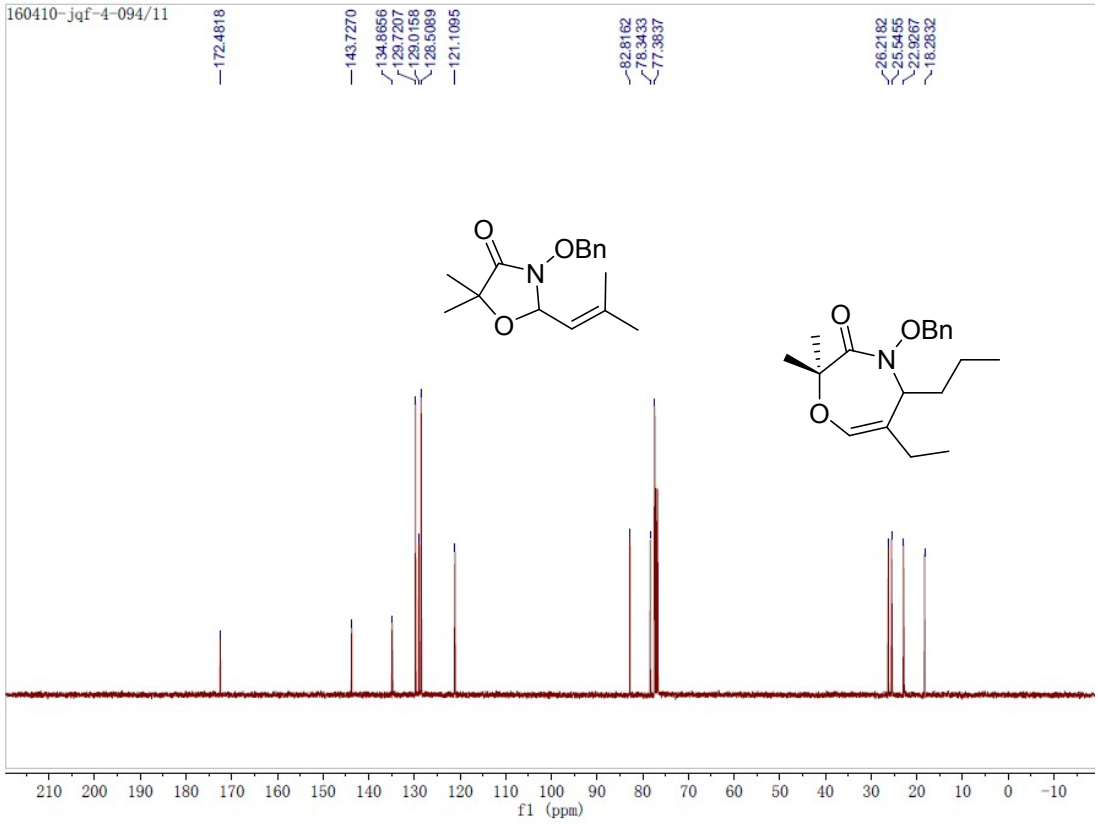
3-(Benzyloxy)-5,5-dimethyl-2-vinyloxazolidin-4-one (5d)



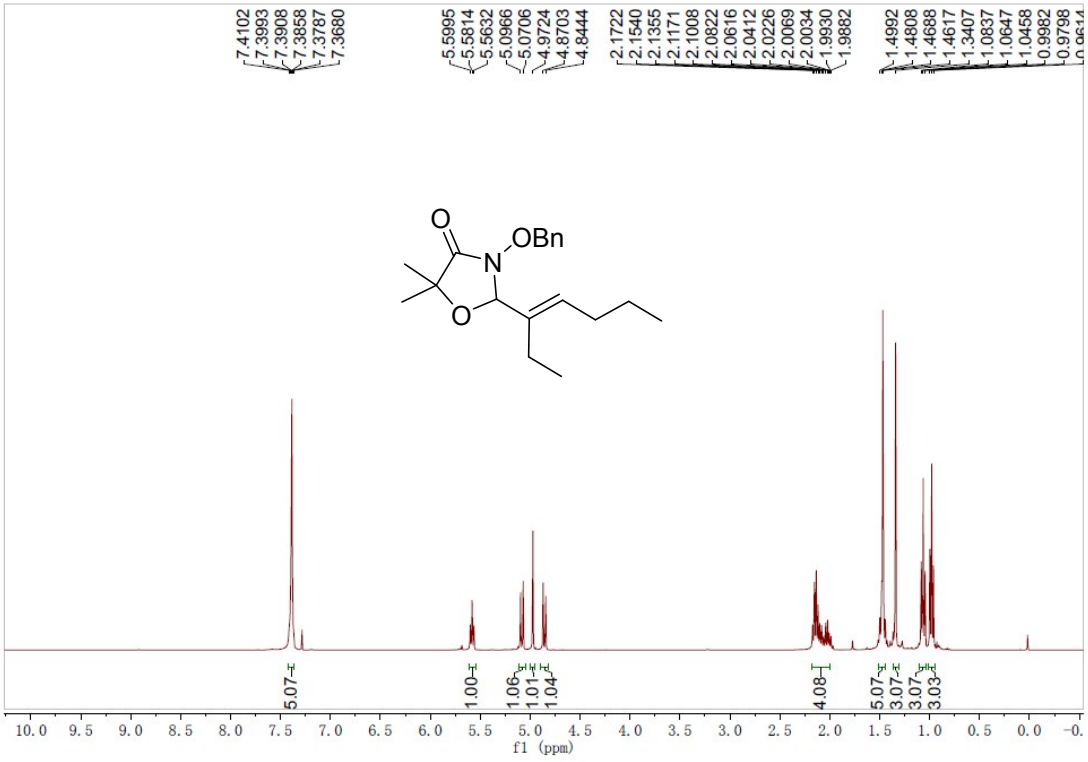


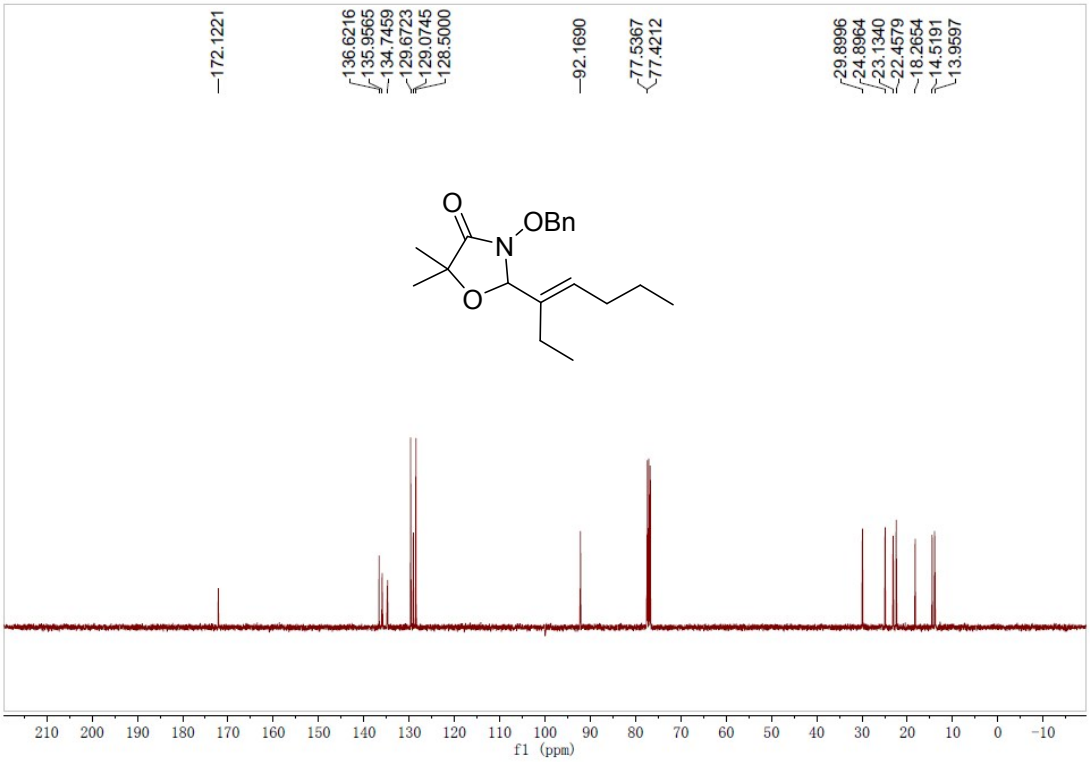
3-(Benzyloxy)-5,5-dimethyl-2-(2-methylprop-1-en-1-yl)oxazolidin-4-one (5e)



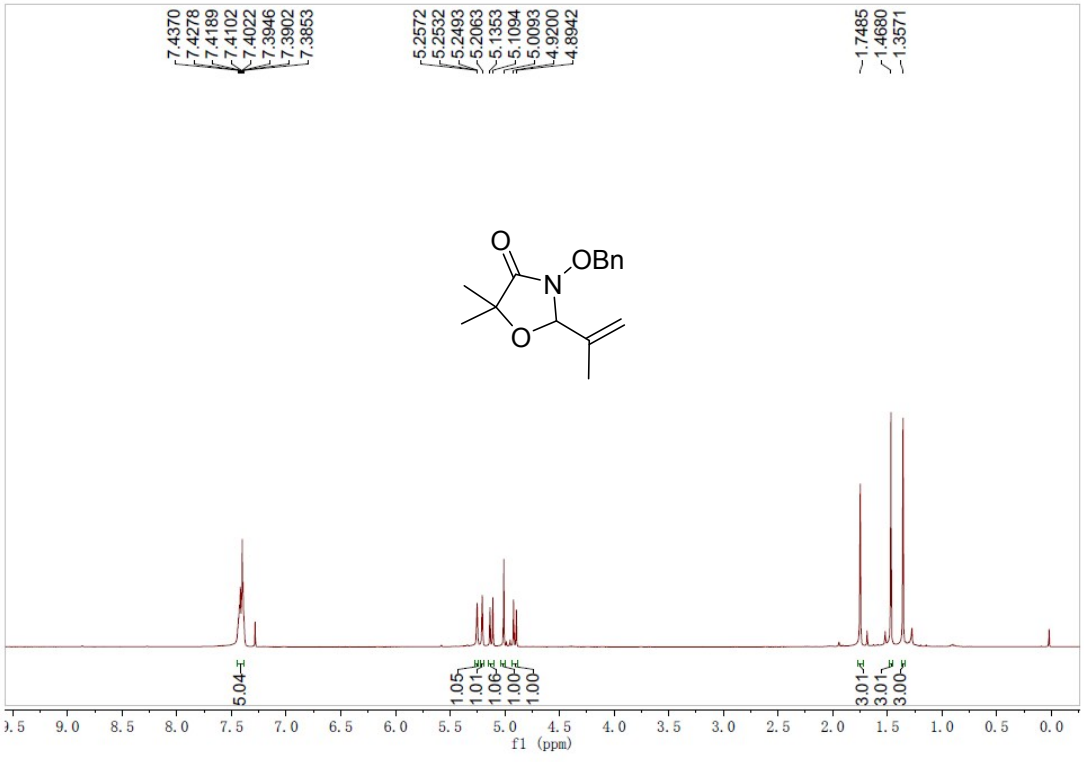


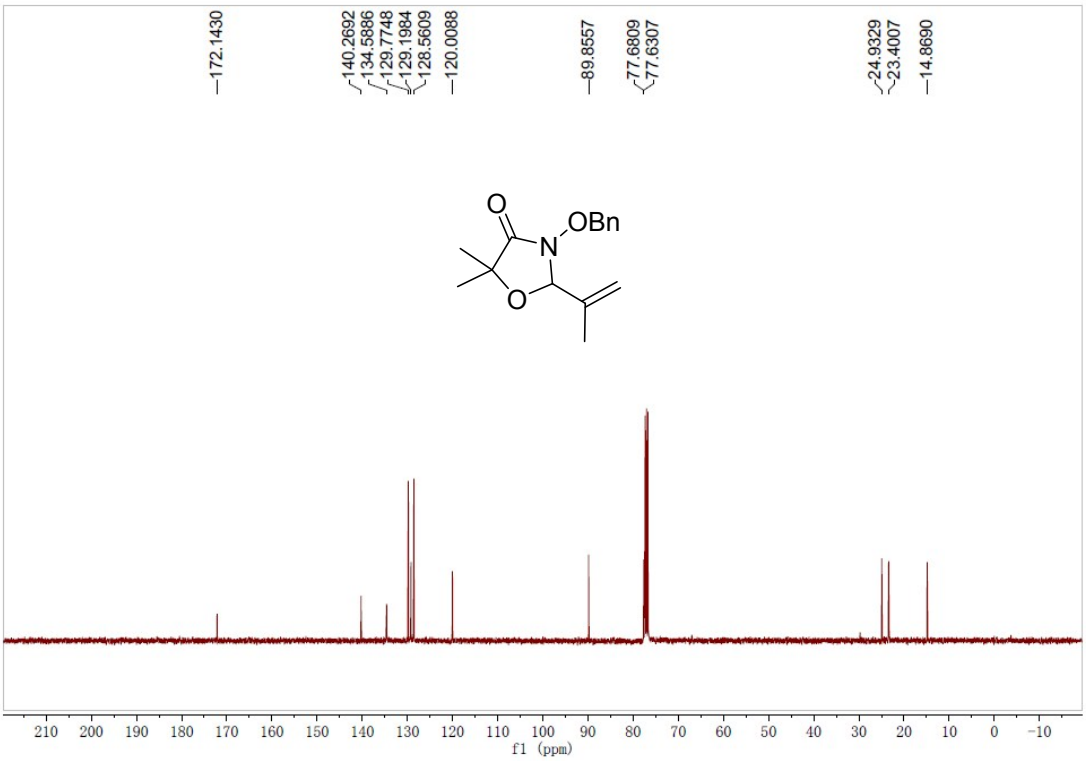
(E)-3-(Benzyloxy)-2-(hept-3-en-3-yl)-5,5-dimethyloxazolidin-4-one (5f)



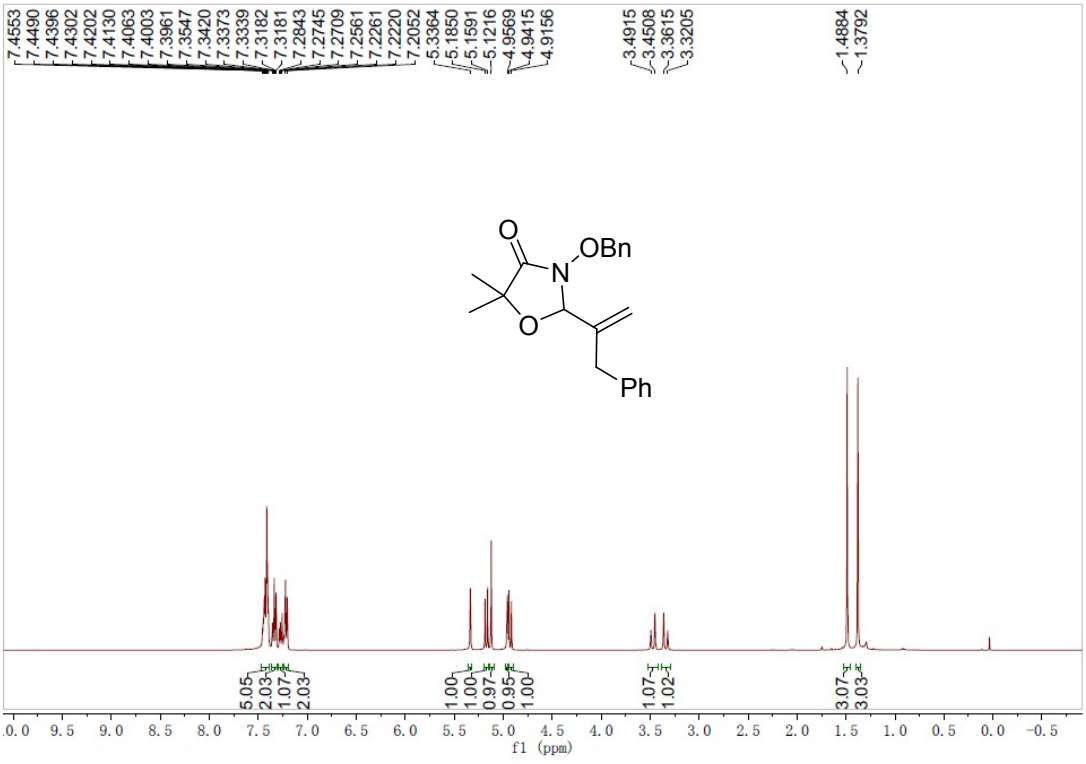


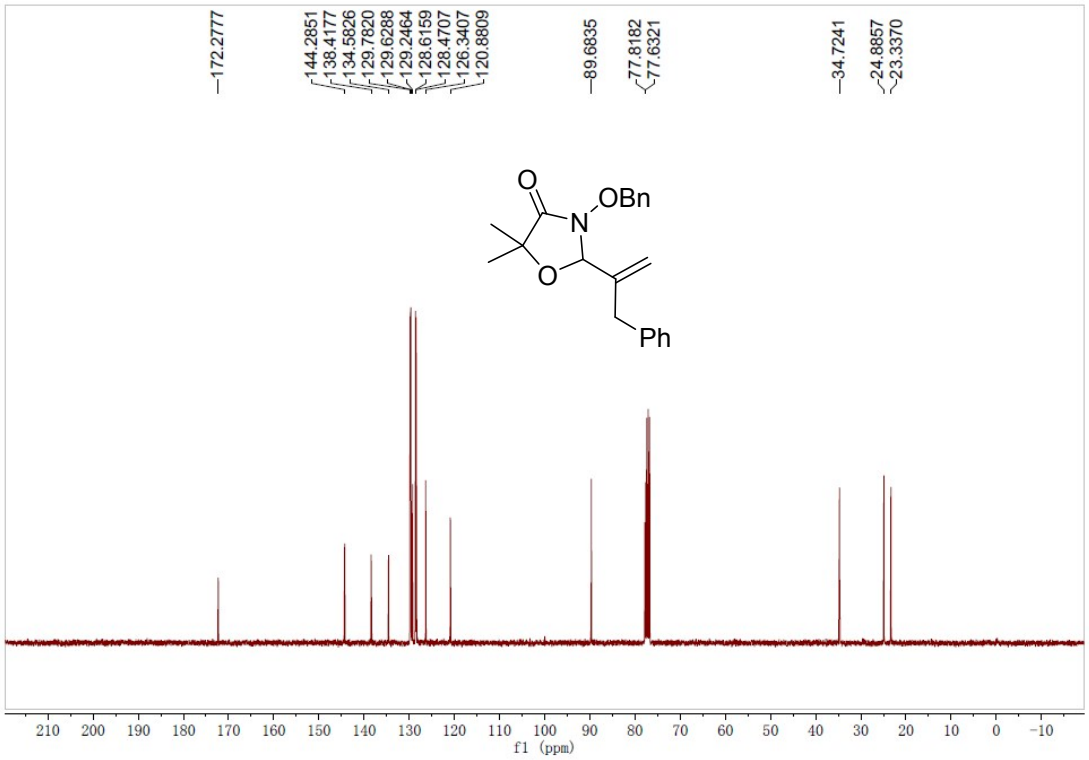
3-(Benzyloxy)-5,5-dimethyl-2-(prop-1-en-2-yl)oxazolidin-4-one (5g)





3-(Benzyloxy)-5,5-dimethyl-2-(3-phenylprop-1-en-2-yl)oxazolidin-4-one (5h)





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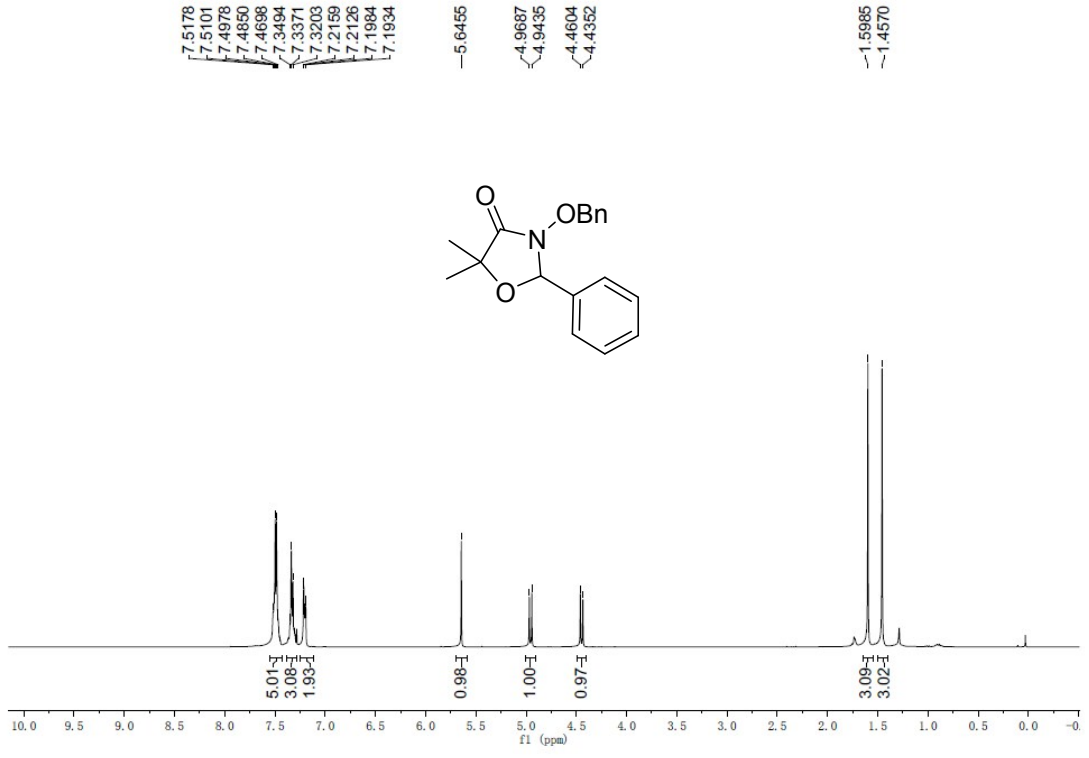
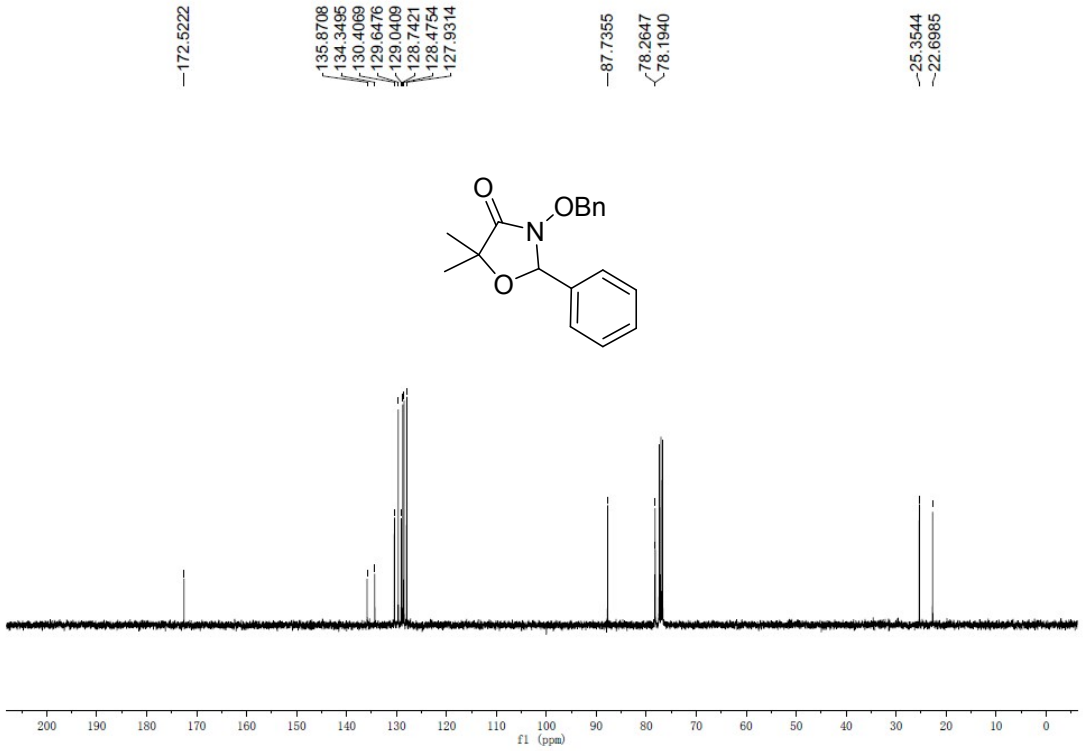
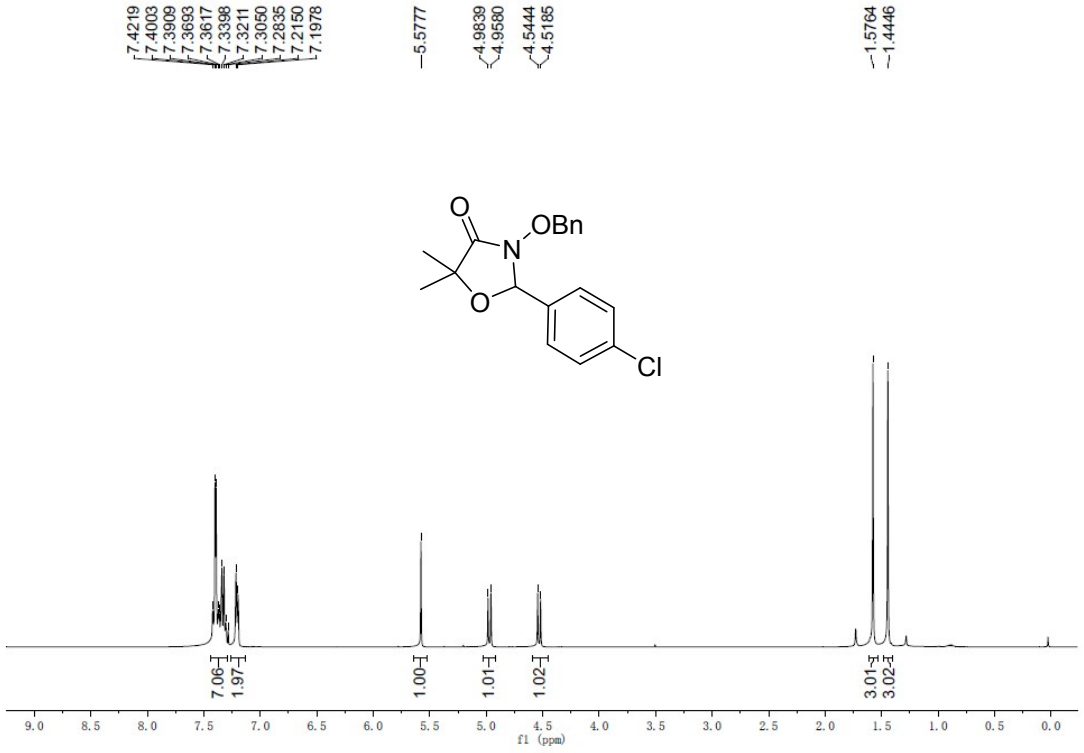
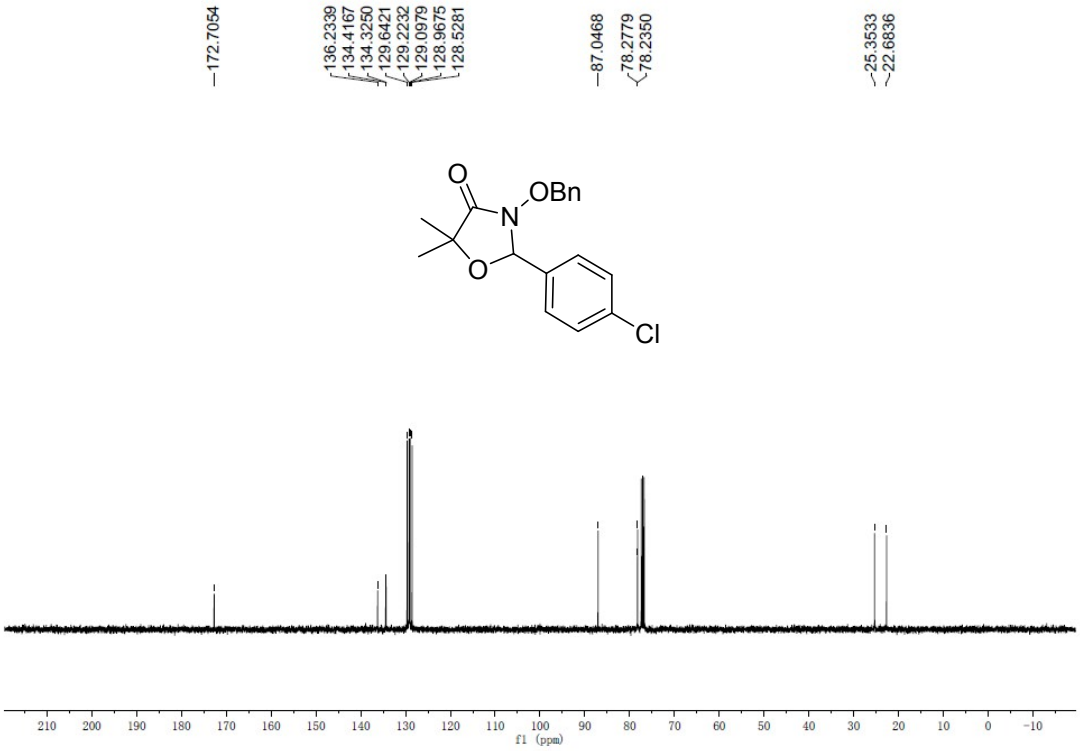


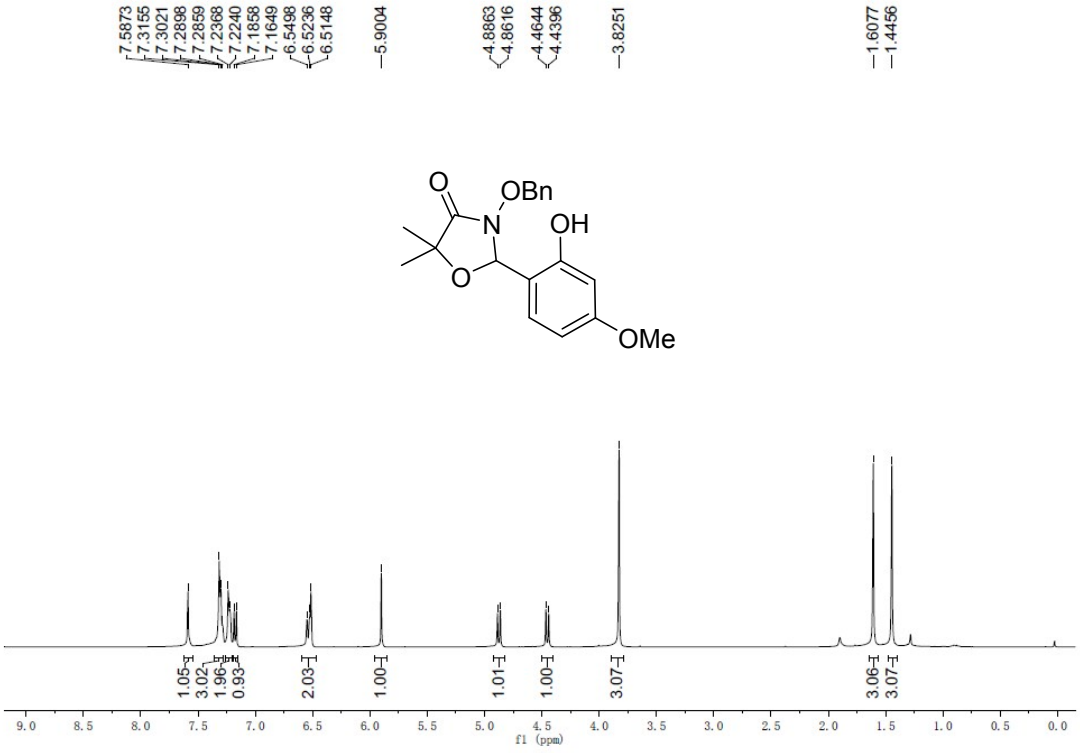


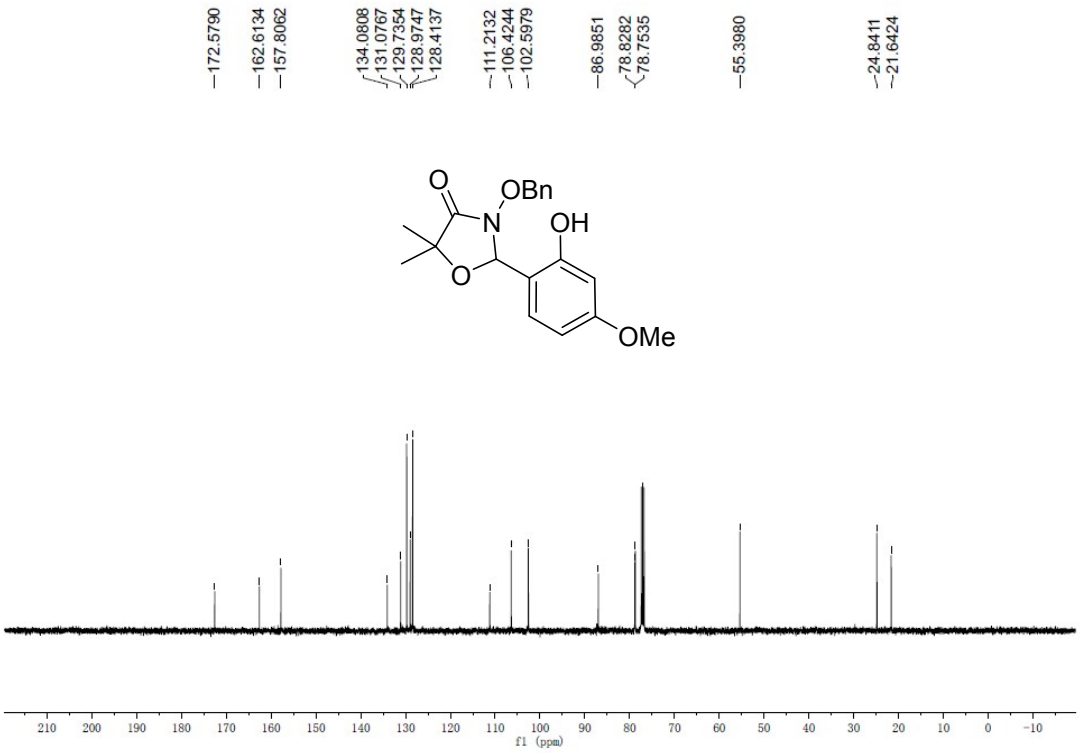
3-(Benzyloxy)-2-(4-chlorophenyl)-5,5-dimethyloxazolidin-4-one (5j)



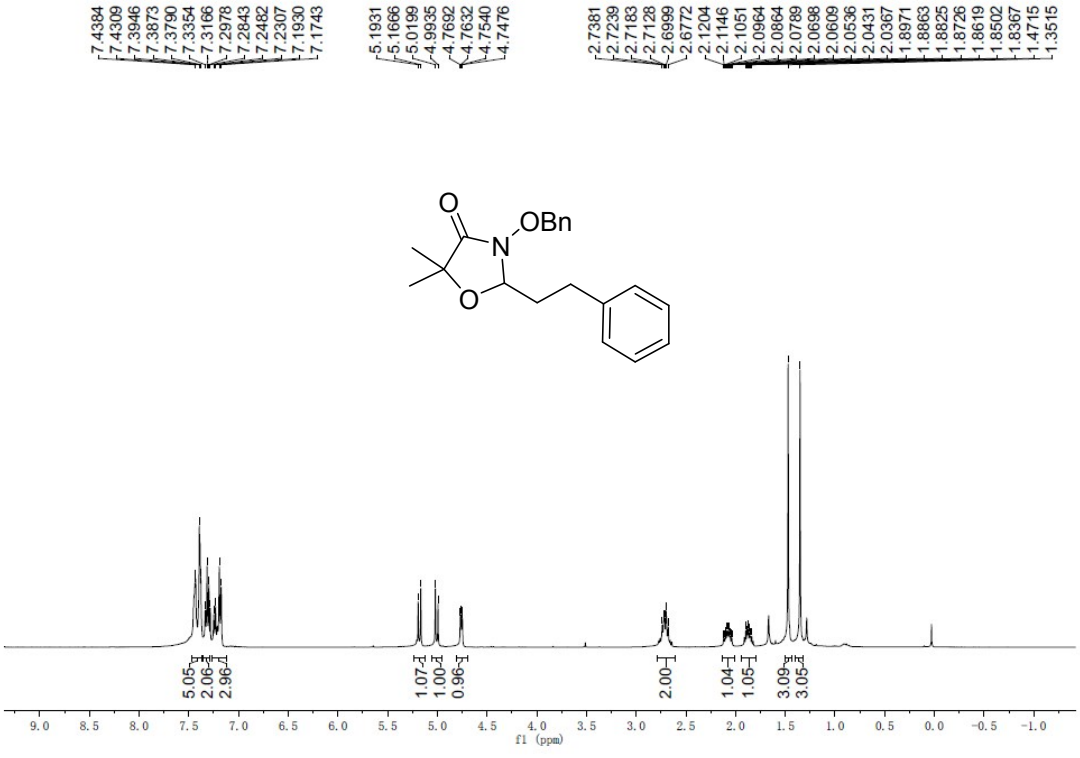


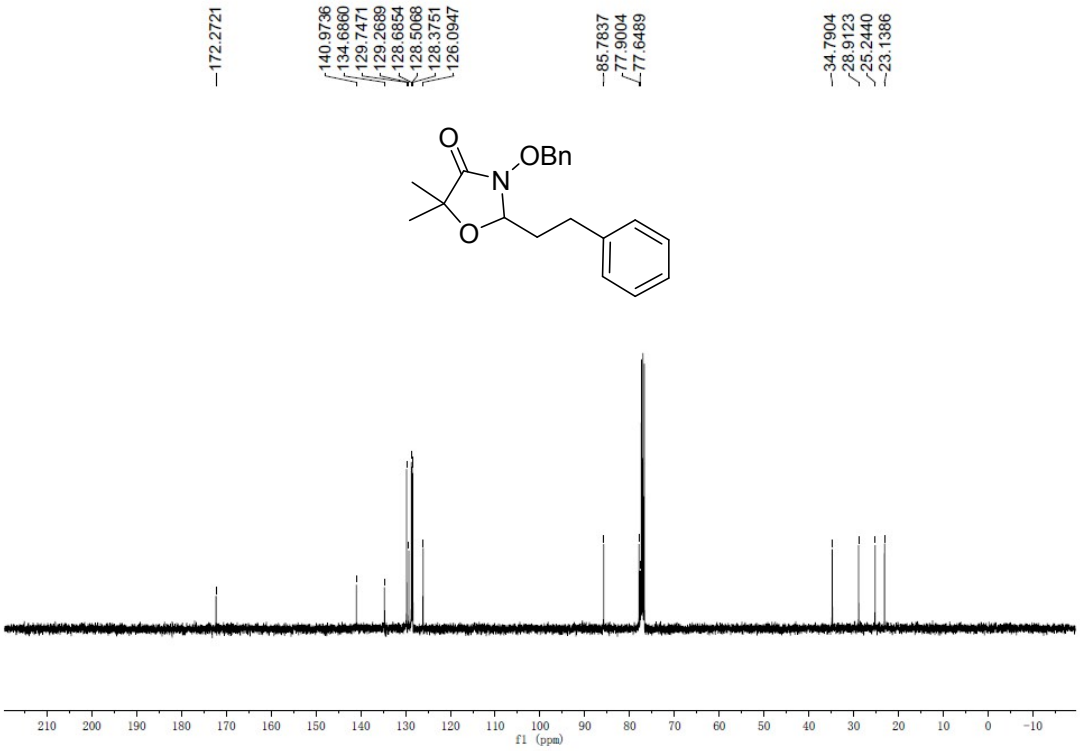
3-(Benzyloxy)-2-(2-hydroxy-4-methoxyphenyl)-5,5-dimethyloxazolidin-4-one (5k)



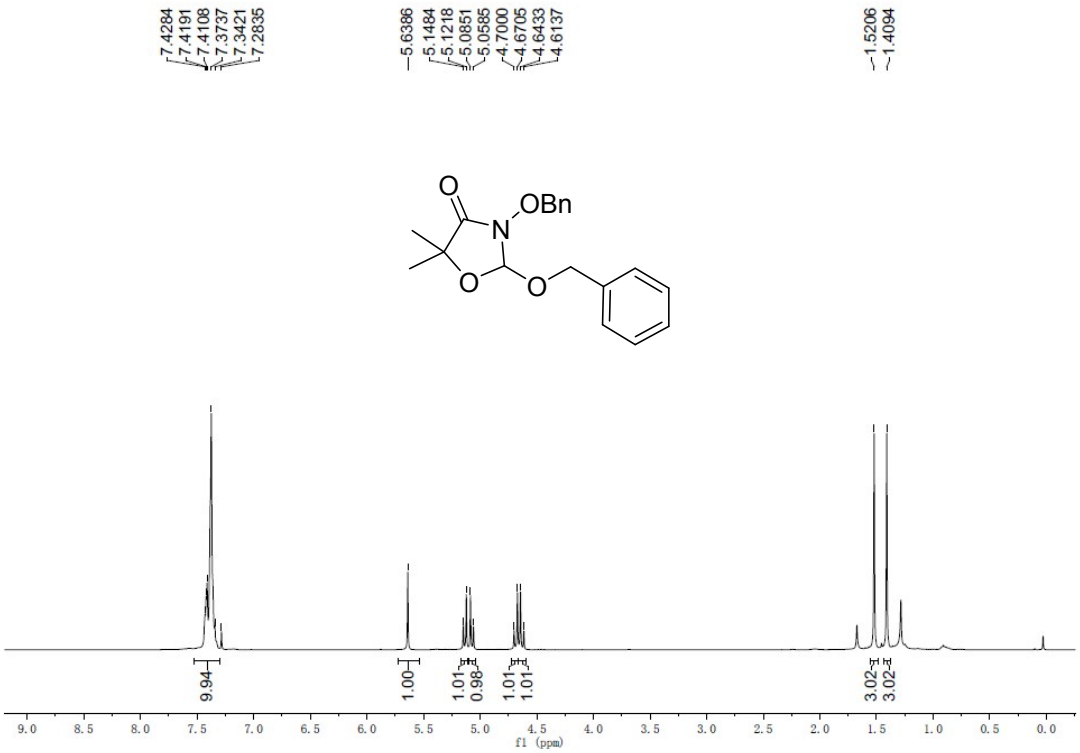


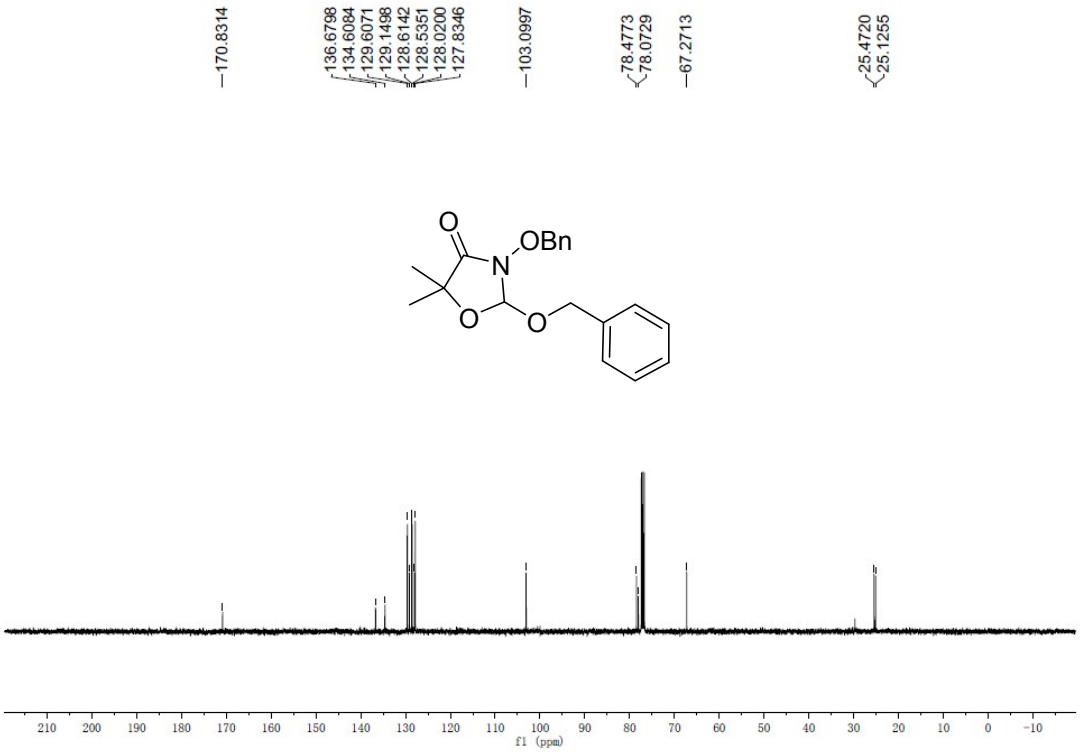
3-(Benzyloxy)-5,5-dimethyl-2-phenethyloxazolidin-4-one (5l)



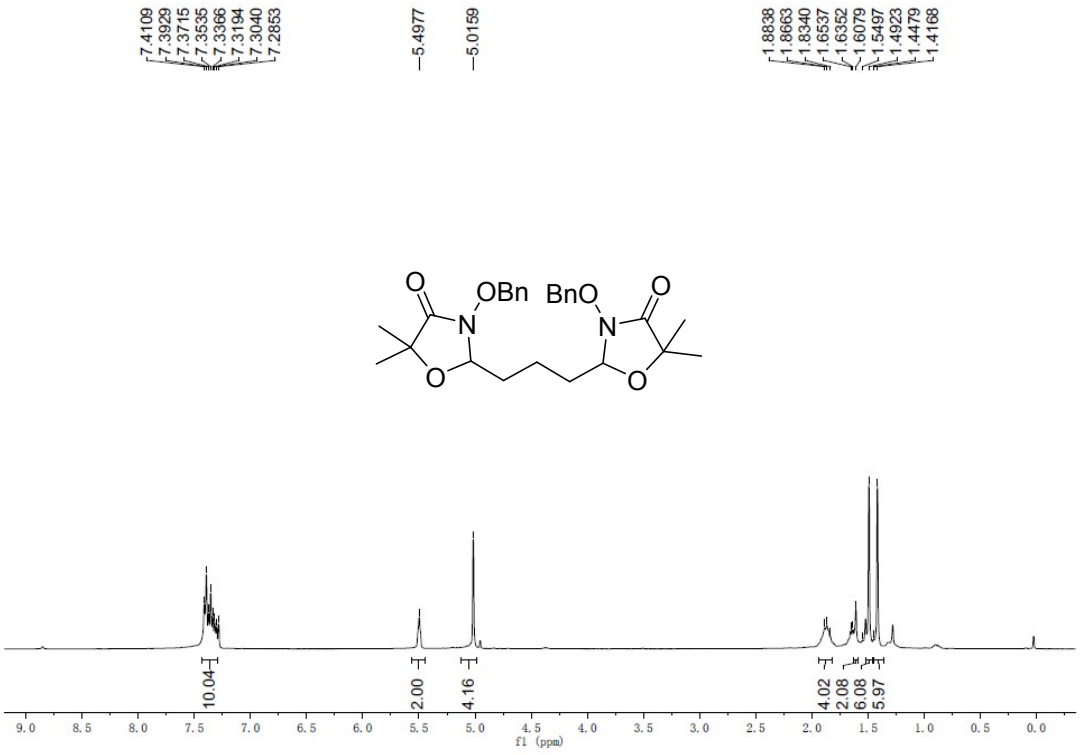


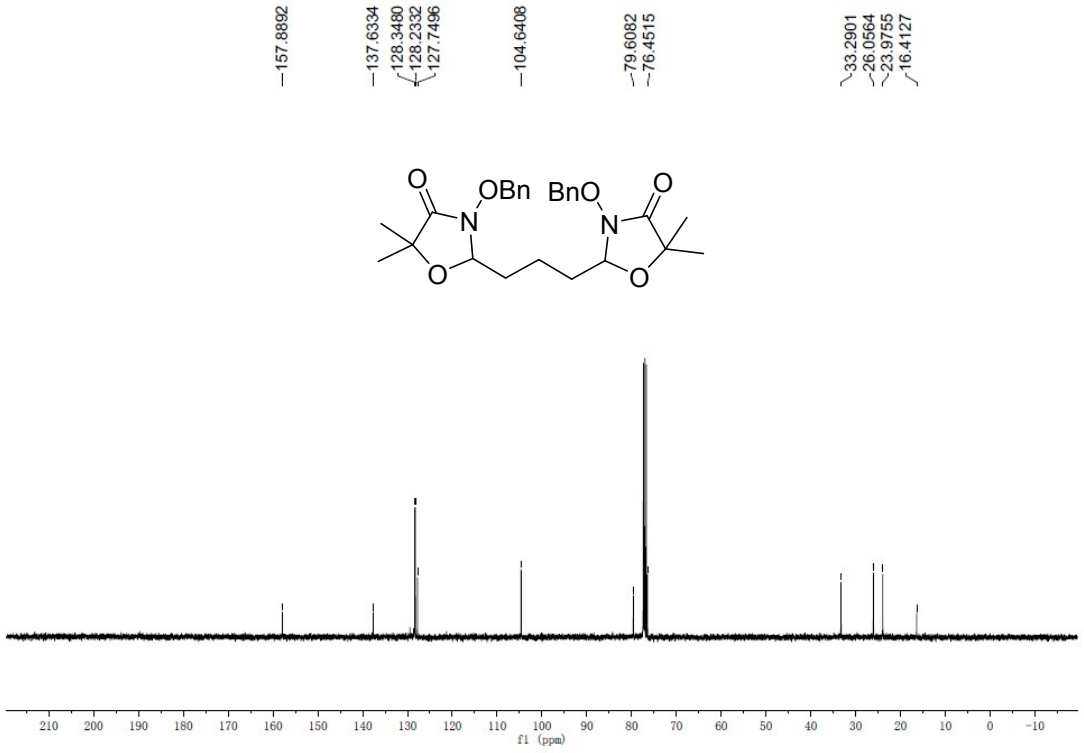
2,3-Bis(benzyloxy)-5,5-dimethyloxazolidin-4-one (5m)



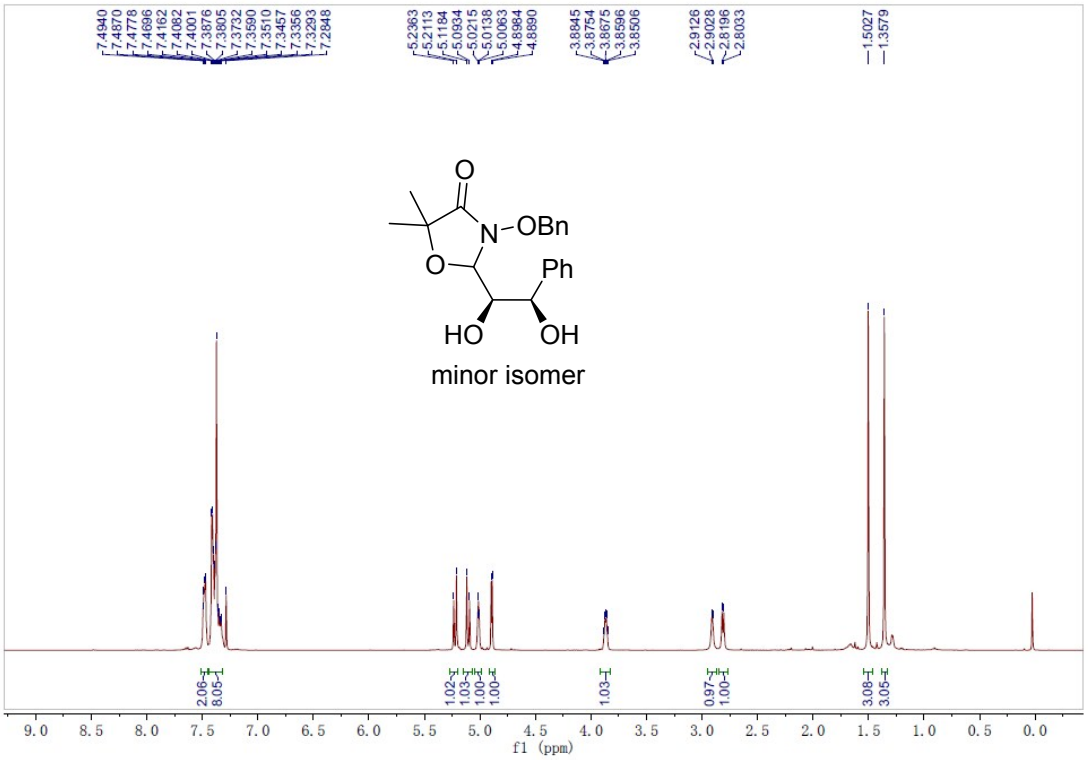


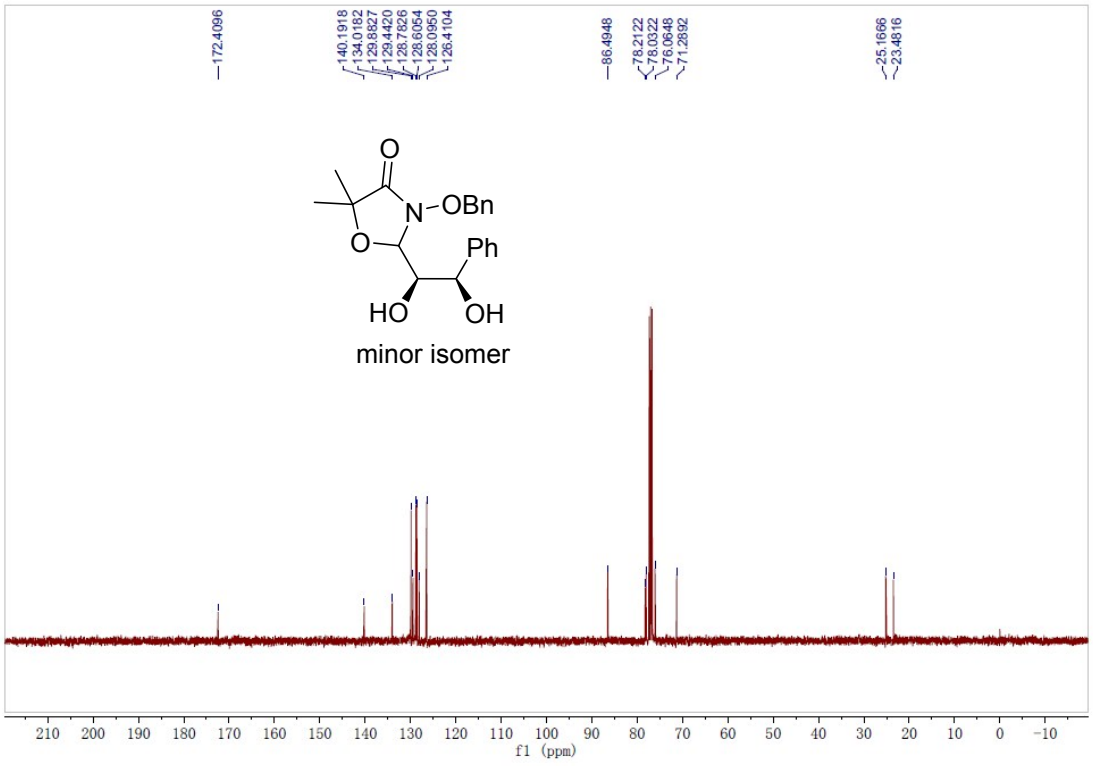
2,2'-(Propane-1,3-diyl)bis(3-(benzyloxy)-5,5-dimethyloxazolidin-4-one) (5n)



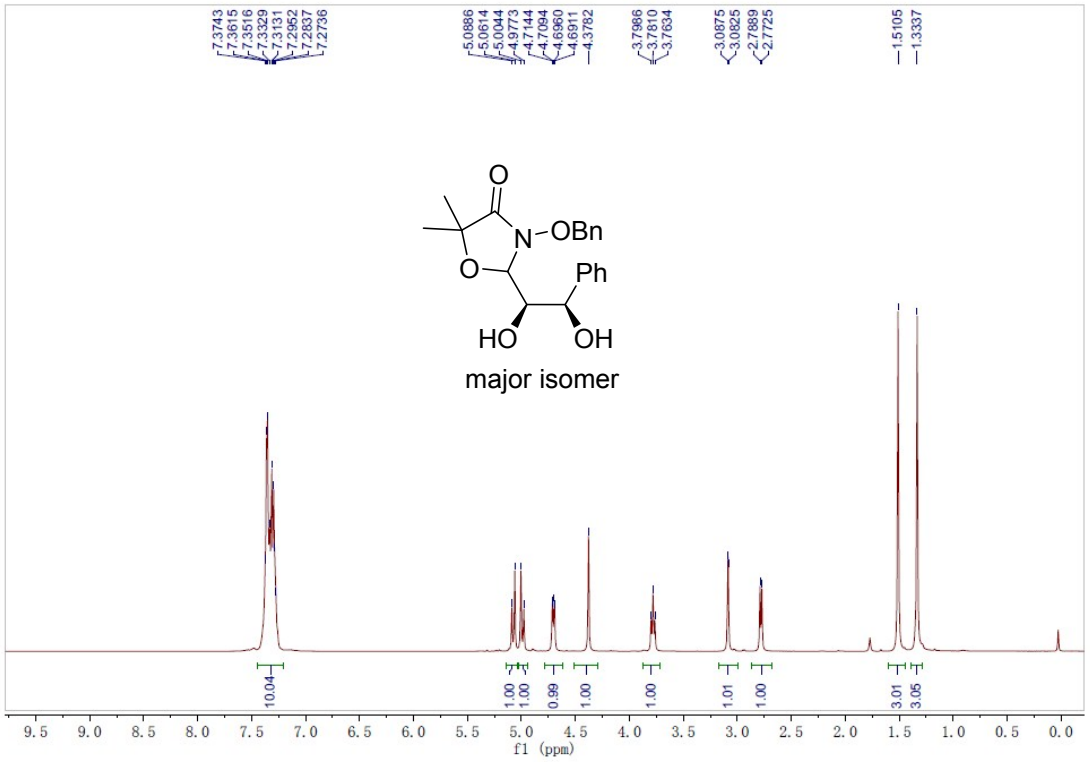


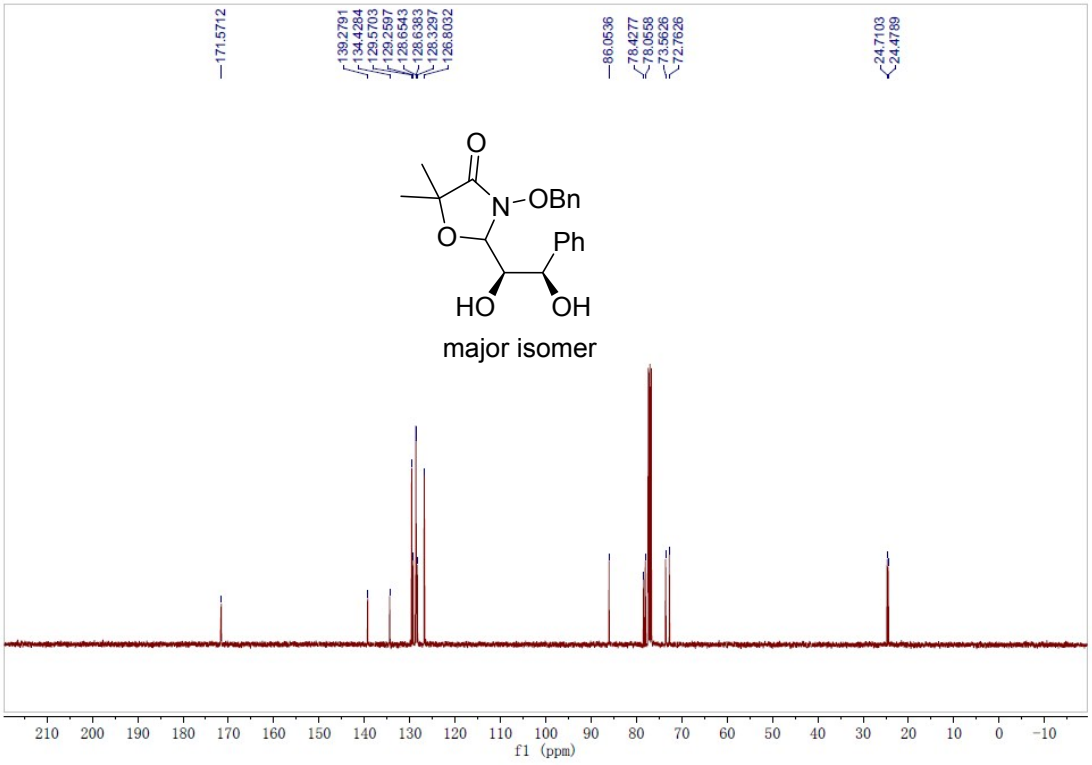
3-(Benzyloxy)-2-((1R,2R)-1,2-dihydroxy-2-phenylethyl)-5,5-dimethyloxazolidin-4-one (6)



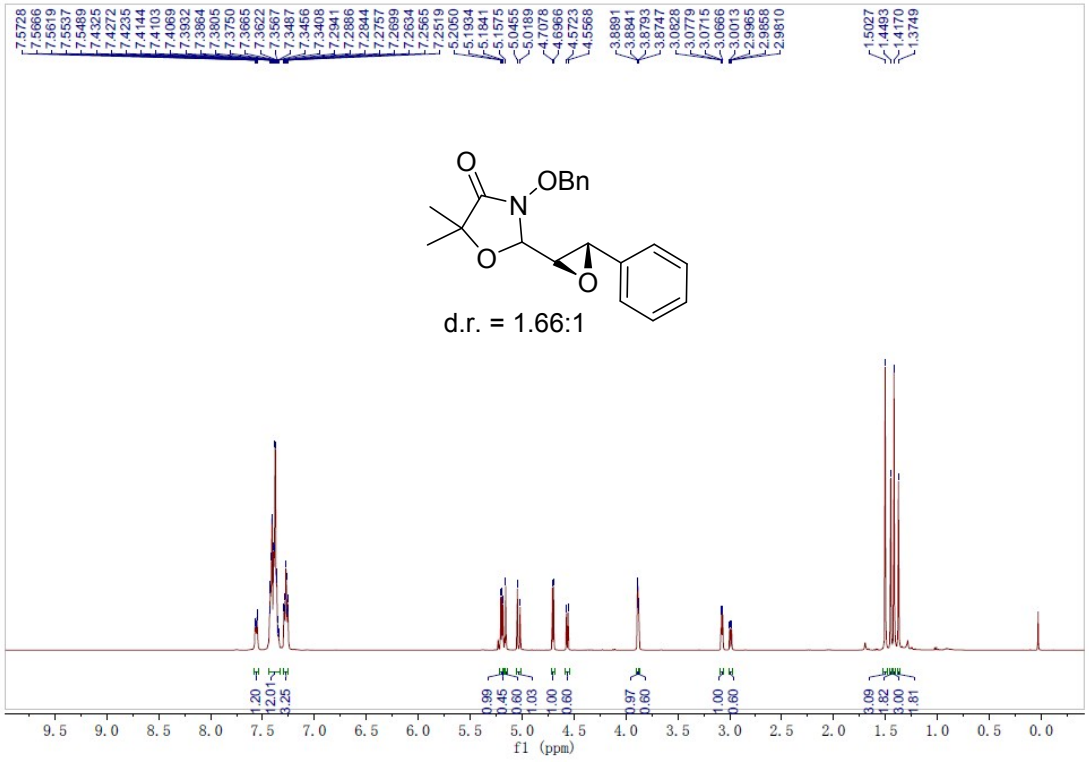


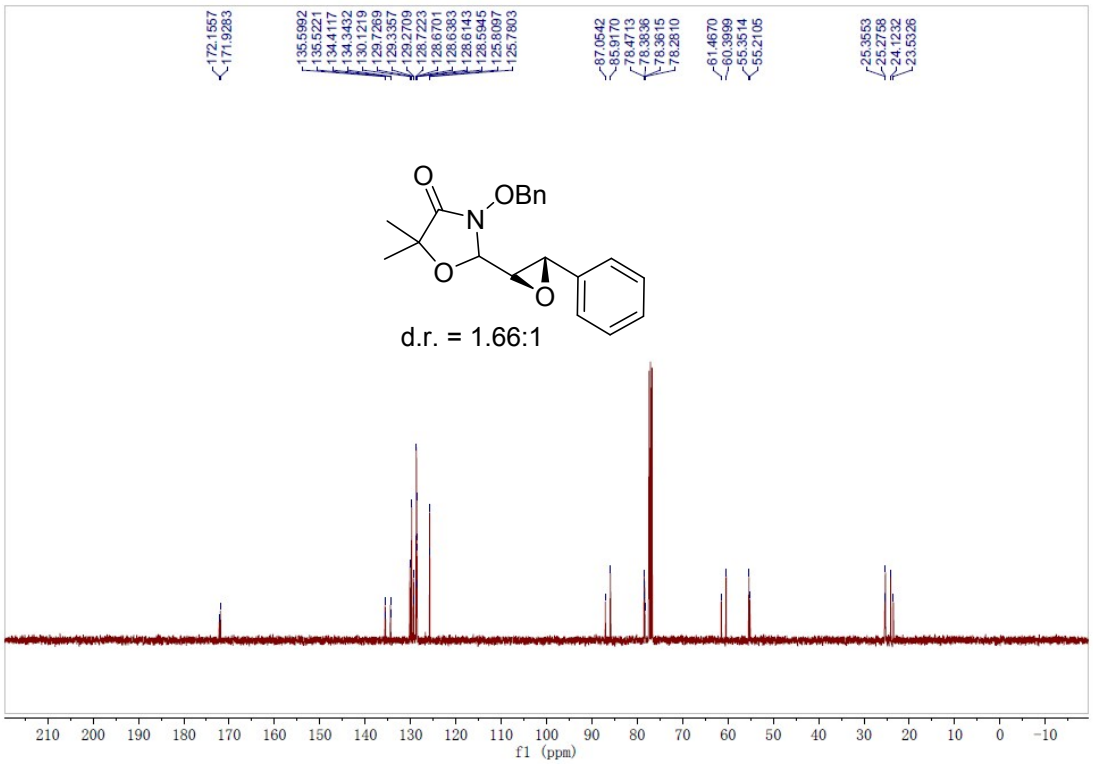
3-(Benzyloxy)-2-((1R,2R)-1,2-dihydroxy-2-phenylethyl)-5,5-dimethyloxazolidin-4-one (6')



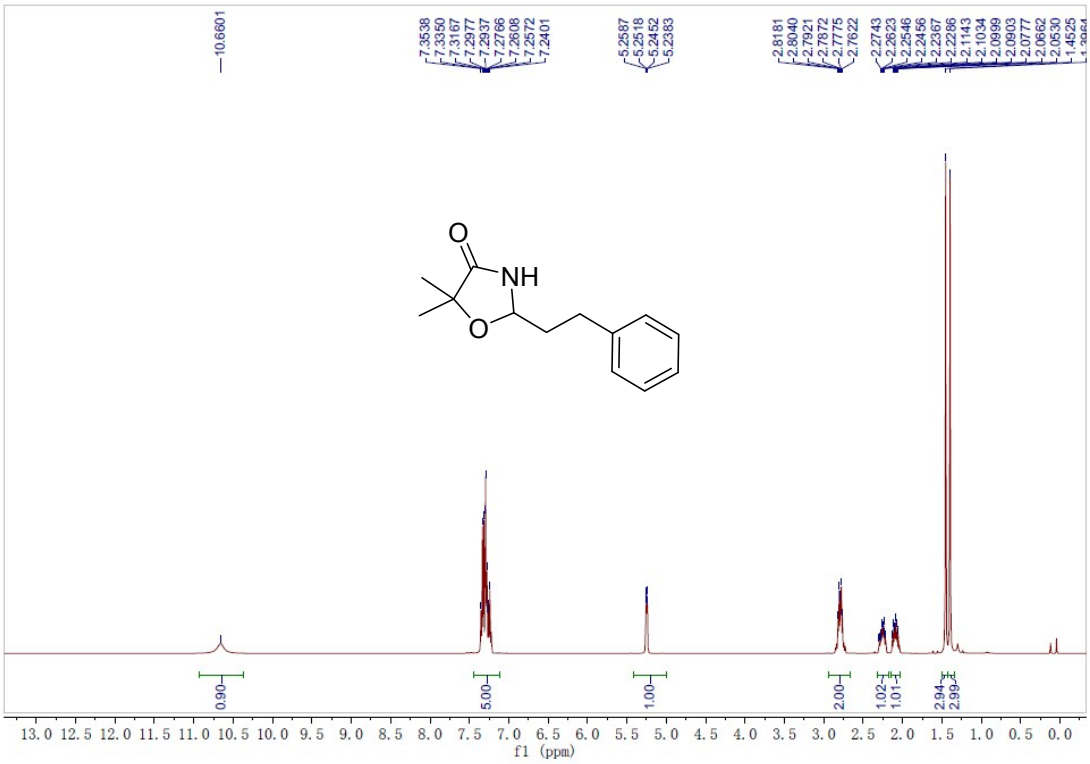


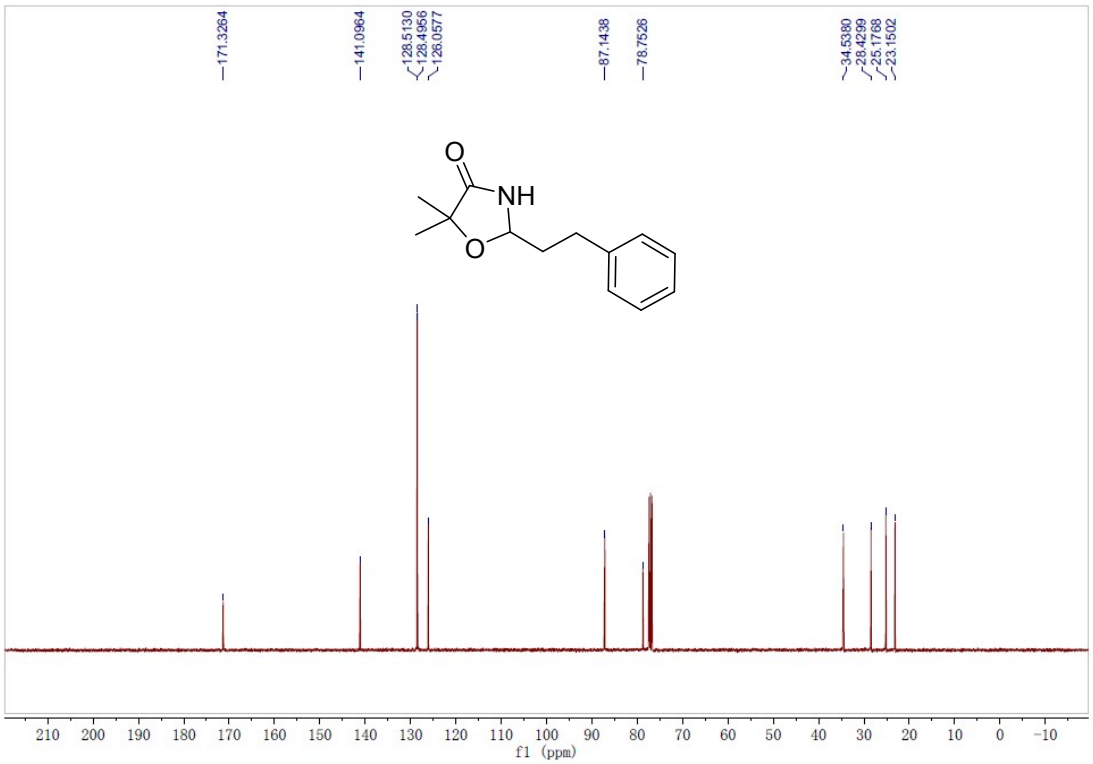
3-(Benzyloxy)-5,5-dimethyl-2-((2S,3S)-3-phenyloxiran-2-yl)oxazolidin-4-one (7)





3-(Benzyloxy)-5,5-dimethyl-2-phenethyloxazolidin-4-one (8)





VII: Reference

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2. Acharya, A., Eickhoff, J. A., Jeffrey, C. S. *Synthesis*, **2013**, *45*, 1825.
3. Knapp, S., Levorse, A. T., Potenza, J. A. *J. Org. Chem.*, **1988**. *53*, 4773.
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