Supporting Information:

Palladium catalyzed direct allylation of azlactones with simple allylic alcohols in the absence of any activators†

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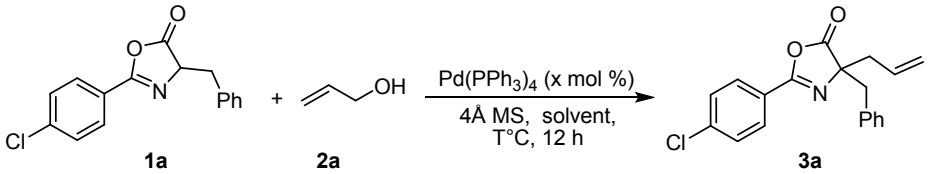
1. General experiment details and materials

Experimental: NMR spectra were recorded on BRUKER Avence III 400MHz spectrometers and Varian Mercury-300 MHz spectrometers. Chemical shifts were reported in parts per million (ppm) down field from TMS with the solvent resonance as the internal standard. Coupling constants (*J*) were reported in Hz. High resolution mass spectra (HRMS) were recorded on Bruker MicrOTOF-Q II mass instrument (ESI). The α-amino acids with different substituent used here are known compounds, which were purchased from Alfa Aesar and Suzhou (China) Amatek Co. Ltd. The Nucleophilic reagents oxazlones were synthesized according to the reported methods. The allylic alcohols used here are known compounds or synthesized according to the reported methods. $Pd(PPh_3)_4$ and acyl chlorides here were purchased and used as such. Moreover, commercially available reagents were used without additional purification. All non-aqueous manipulations and reactions were using standard Schlenk techniques. All solvents before use were dried and degassed by standard methods and stored under argon atmosphere. All reactions were monitored by TLC with silica gel-coated plates.

2. Optimization of the reaction conditions

2-(4-chlorophenyl)-4-benzyloxazolone **1a** (50 mg, 0.2 mmol), catalyst $Pd(PPh_3)_4$, allylic alcohol **2a** (23 mg, 0.4 mmol), 4Å MS (100 mg), solvent (1.0 mL) were added to a 25 mL flame-dried schlenk tube, then stirred for 12 hours. After cooling to room temperature, the reaction mixture was diluted with CH_2Cl_2 (2.0 mL), filtered through diatomaceous earth to remove the metal salts and washed with CH_2Cl_2 (3.0 ml) for three times. All volatiles were removed by evaporation to give crude residue.

Table 1 Optimization of reaction conditions for the direct allylation of **1a** with **2a**

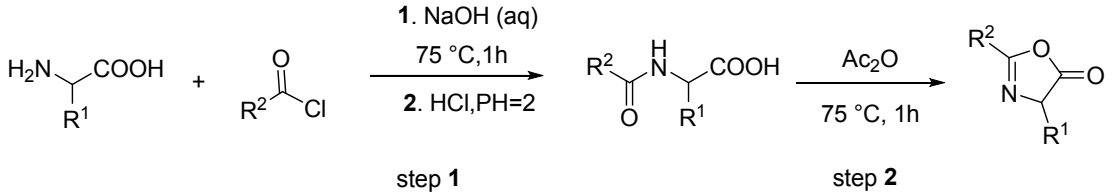


1a **2a** **3a**

Entry[a]	$Pd(PPh_3)_4$	T(°C)	Solvent	Yield[b]
1	5.0 mol %	60	Toluene	>99%(98%)[c]
2	1.5 mol %	60	Toluene	>99%(97%)[c]
3	1.5 mol %	40	Toluene	75%
4	1.5 mol %	40	EA	40%
5	1.5 mol %	40	MTBE	20%

[a] Reaction conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), 4Å MS (50 mg), solvent (1.0 mL). [b] Determined by ^{1}H-NMR analysis of the crude reaction mixture. [c] Isolated yield in the parenthesis.

3. General procedures for the synthesis of 1b-s



Step 1 (synthesis of N-acyl-alanine):[1-2]

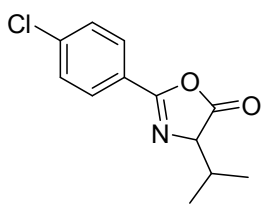
To a vigorously stirred solution containing amino acid (7 mmol, 1 equiv) and NaOH (14 mmol, 2 equiv) in 30 mL of water at 75°C was added alternately benzoyl chloride (7.7 mmol, 1.1 equiv) in small portions during 30 min, the mixture was stirred an additional 30 min, then cooled to 0 °C and acidified to pH = 1-2 with 2 N HC1. The residue was extracted into ethyl acetate and dried with anhydrous Na_2SO_4, and the solvent was removed with a rotary evaporator. The crude product was purified through a short column of silica gel using hexane/EtOAc = 4:1 (and then with 10% CH_3OH/ CH_2Cl_2) as the eluent to give *N*-acyl-alanine (1.5 g) in 91% yield.

Step 2 (synthesis of oxazolones):[3]

N-acyl-alanine (1 equiv) prepared before was mixed in a flask with anhydrous acetic anhydride and heated to 65 °C, the reaction was stirred 1 h at which point TLC analysis indicated total consumption of the starting material. The tube liquid was cooled and concentrated (aspirator) to remove the most residual acetic acid/anhydride, then diluted with 30 mL EA and washed with saturated sodium bicarbonate solution (20 mL x 3). The aqueous phase was extracted with EA (20 mL x 3), dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo, yielding azlactones as white or brown orange solids. The compounds were recrystallized from dichloromethane/ petroleum for further purification.

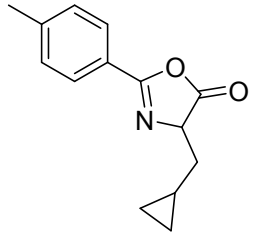
4. Experimental characterization data for products

2-(4-chlorophenyl)-4-isopropyloxazolone (1c):



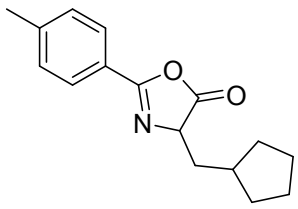
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.95 (d, *J* = 8.7 Hz，2H), 7.49-7.45 (m，2H), 4.28 (d, *J* = 4.6 Hz，1H), 2.39 (s，1H), 1.15 (d, *J* = 6.9 Hz，3H), 1.02 (d, *J* = 6.9 Hz，3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 177.36, 160.89, 139.05, 131.85, 129.34, 124.34, 70.70, 31.24, 18.74, 17.52. HRMS (ESI) calcd. for $C_{12}H_{12}ClNO_2$ [M+H]: 238.0629, found: 238.0629.

2-p-tolyl-4-cyclopropylmethyloxazolone(1h):



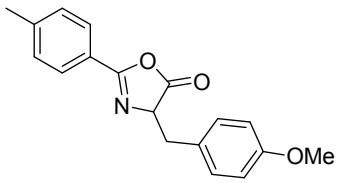
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73-7.69 (m, 2H), 7.28-7.27 (m, 1H), 7.25 (s, 1H), 4.86 (dd, *J* = 13.1, 5.9 Hz, 1H), 2.41 (s, 3H), 1.89 (td, *J* = 6.9, 2.5 Hz, 2H), 1.25 (s, 1H), 0.58-0.50 (m, 2H), 0.17 (dt, *J* = 8.6, 4.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 174.9, 167.78, 142.64, 130.53, 129.37, 127.07, 53.32, 36.35, 21.49, 6.95, 4.27, 4.15. HRMS (ESI) calcd. for $C_{14}H_{15}NO_2$ [M+H]: 230.1186, found: 230.1176.

2-p-tolyl-4-cyclopentylmethyloxazolone (1i):



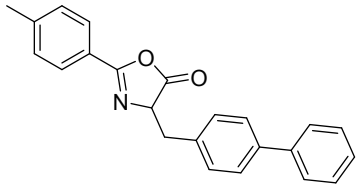
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.89 (d, *J* = 8.1 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 4.39 (dd, *J* = 8.0, 5.8 Hz, 1H), 2.43 (s, 3H), 2.23-2.11 (m, 1H), 2.06-1.96 (m, 1H), 1.92-1.78 (m,3H), 1.71-1.49 (m, 4H), 1.27-1.13 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.00, 161.41, 143.32, 129.48, 127.80, 123.21, 64.97, 37.99, 36.78, 32.75, 32.51, 25.12, 24.98, 21.68. HRMS (ESI) calcd for $C_{16}H_{19}NO_2$ [M+H]: 258.1501, found: 258.1489.

2-p-tolyl-4-methoxybenzyloxazolone (1l):



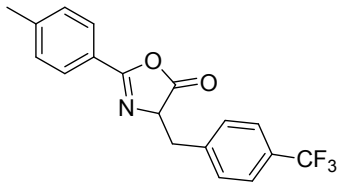
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.79-7.82 (m, 2H), 7.24-7.26 (d, *J* = 8.8 Hz, 2H), 7.16-7.18 (m, 2H), 6.77-6.79 (m, 2H), 3.73 (s, 1H), 3.28-3.33 (m, 1H), 3.11-3.16 (m, 1H), 2.40 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 177.77, 161.67, 158.65, 143.37, 130.64, 129.43, 127.82, 127.22, 122.99, 113.75, 66.67, 55.12, 36.45, 21.67. HRMS (ESI) calcd. for $C_{18}H_{17}NO_3$ [M+H]: 296.1288, found: 296.1302.

2-p-tolyl-4-biphenyl-4-ylmethyloxazolone (1m):



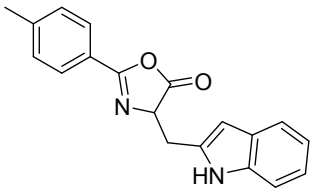
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82-7.84 (m, 2H), 7.52-7.55 (m, 2H), 7.47-7.50 (m, 2H), 7.38-7.42 (m, 2H), 7.24-7.35 (m, 5H), 4.70-4.73 (m, 1H), 3.39-3.44 (m, 1H), 3.19-3.24 (m, 1H); ^{13}CNMR (100 MHz, $CDCl_3$) δ = 177.39, 162.04, 143.70, 140.68, 139.98, 134.31, 129.99, 129.51, 128.69, 127.96, 127.20, 127.10, 126.98, 122.69, 66.28, 36.94, 21.71. HRMS (ESI) calcd. for $C_{23}H_{19}NO_2$ [M+H]: 342.1495, found: 342.1489.

2-p-tolyl-4-(trifluoromethyl)benzyloxazolone (1n):



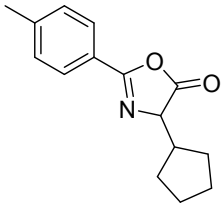
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (d, *J* = 8.2 Hz，2H), 7.53 (d, *J* = 8.0 Hz，2H), 7.40 (d, *J* = 8.0 Hz，2H), 7.30-7.25 (m，2H), 4.70 (dd, *J* = 6.9, 4.9 Hz，1H), 3.44 (dd, *J* = 14.0, 4.9 Hz, 1H), 3.22 (dd, *J* = 14.0, 6.9 Hz, 1H), 2.42 (s, 3H); ^{13}CNMR (100 MHz, $CDCl_3$) δ = 177.12, 162.21, 143.89, 139.40, 129.94, 129.56, 127.92, 125.37, 125.34, 122.51, 65.91, 36.94, 21.72. HRMS (ESI) calcd. for $C_{18}H_{14}F_3NO_2$ [M+H]: 334.1048, found: 334.1049.

2-p-tolyl-4-(1H-indol-2-yl)methyloxazolone (1o):



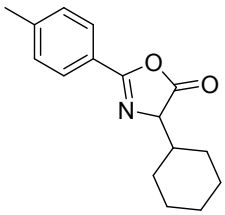
The title compound was prepared according to the general procedure as a light yellow solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.01 (s, 1H), 7.80-7.74 (m, 2H), 7.71 (ddd, *J* = 7.2, 1.7, 0.6 Hz, 1H), 7.30-7.26 (m, 1H), 7.24-7.18 (m, 2H), 7.16-7.08 (m, 3H), 4.73 (dd, *J* = 6.2, 5.0 Hz, 1H), 3.51 (ddd, *J* = 14.8, 4.9, 0.8 Hz, 1H), 3.38 (ddd, *J* = 14.8, 6.3, 0.6 Hz, 1H), 2.38 (s, 3H).^{13}C NMR (100 MHz, $CDCl_3$) δ = 178.15, 161.77, 143.25, 135.88, 131.56, 129.36, 127.80, 127.40 , 123.30, 123.05, 122.05, 119.54, 119.17, 110.94, 66.48, 27.25, 21.65. HRMS (ESI) calcd. for $C_{19}H_{16}N_2O_2$ [M+H]: 305.1291, found: 305.1285.

2-p-tolyl-4-cyclopentyloxazolone (1p):



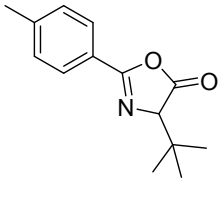
The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.93-7.88 (m, 2H), 7.31-7.27 (m, 2H), 4.39 (d, *J* = 5.8 Hz, 1H), 2.43 (s, 3H), 1.98-1.88 (m, 1H), 1.82-1.50 (m, 6H), 1.48-1.36 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 178.23, 161.58, 143.30, 129.45, 127.83, 123.19, 68.36, 41.75, 29.08, 27.78, 25.36, 25.30, 21.67. HRMS (ESI) calcd. for $C_{15}H_{17}NO_2$ [M+H]: 244.1329, found: 244.1319.

2-p-tolyl-4-cyclohexyloxazolone (1q):



The title compound was prepared according to the general procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.93-7.88 (m, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 4.27 (d, *J* = 4.4 Hz, 1H), 2.42 (s, 3H), 2.04 (dtd, *J* = 11.8, 7.9, 4.0 Hz, 1H), 1.91-1.56 (m, 5H), 1.44-1.13 (m, 5H); ^{13}C NMR (100 MHz, $CDCl_3$)) δ = 177.55, 161.92, 143.66, 129.52, 128.00, 122.78, 69.99, 40.65, 29.10, 27.77, 26.01, 25.87, 25.78, 21.72. HRMS (ESI) calcd. for $C_{16}H_{19}NO_2$ [M+H]: 258.1478, found: 258.1489.

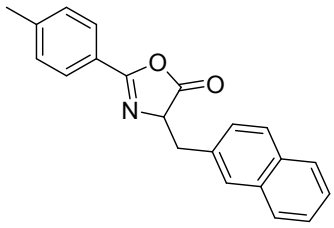
2-p-tolyl-4-tert-butyloxazolone (1r):



The title compound was prepared according to the general

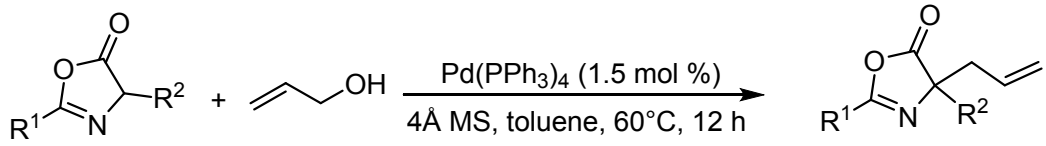
procedure as a white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.94 (d, *J* = 8.2 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 4.09 (s, 1H), 2.43 (s, 3H), 1.15 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 177.15, 161.29, 143.27, 129.43, 127.83, 123.16, 73.99, 35.89, 26.16, 21.67. HRMS (ESI) calcd. for $C_{14}H_{17}NO_2$ [M+H]: 232.1335, found: 232.1332.

2-p-tolyl-4-naphthalen-2-ylmethyloxazolone (1s):



The title compound was prepared according to the general procedure as a pale-beige solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83-7.72 (m, 6H), 7.47-7.39 (m, 3H), 7.23 (d, *J* = 8.0 Hz, 2H), 4.77 (dd, *J* = 6.7, 5.0 Hz, 1H), 3.54 (dd, *J* = 14.0, 5.0 Hz, 1H), 3.35 (dd, *J* = 14.0, 6.8 Hz, 1H), 2.39 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 177.74, 161.82, 143.44, 133.34, 132.98, 132.48, 129.43, 128.34, 127.95, 127.84, 127.75, 127.65, 127.57, 125.98, 125.69, 122.89, 66.55, 37.46, 21.68. HRMS (ESI) calcd. for $C_{21}H_{17}NO_2$ [M+H]: 316.1319, found: 316.1332.

5 General procedures for the synthesis of 3b-s

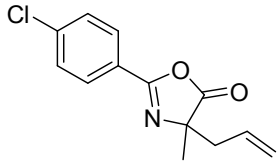


1b-t **2a** **3b-t**

2-(4-chlorophenyl)-4-benzyloxazolone **1b-t** (50 mg, 1 equiv), catalyst $Pd(PPh_3)_4$ (1.5 mol %), allyl alcohol **2a** (2 equiv), 4Å MS (100 mg), solvent (1.0 mL) were added to a 25 mL flame-dried Young-type tube, and then stirred at 60 ℃ for 12 hours. The crude product was purified through a short column of silica gel using hexane/ EtOAc = 98:2 as eluent to give allylation products **3b-t**.

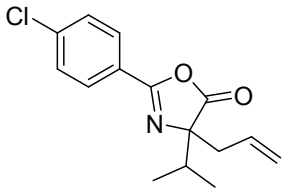
6 Experimental characterization data for products

2-(4-chlorophenyl)-4-allyl-4-methyloxazolone (3b):



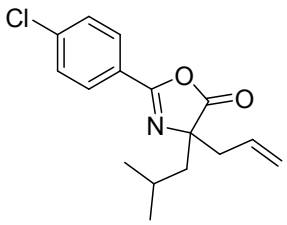
The title compound was prepared according to the general procedure as 91% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.84 (d, *J* = 8.5 Hz, 2H), 7.37 (d, *J* = 8.5 Hz, 2H), 5.63-5.51 (m, 1H), 5.06 (dd, *J* = 21.0, 13.6 Hz, 2H), 2.58-2.45 (m, 2H), 1.45 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.76, 159.02, 139.03, 130.68, 129.19 , 129.12, 124.32, 120.52, 69.78, 42.27, 23.16, 19.13. HRMS (ESI) calcd. for $C_{13}H_{12}ClNO_2$ [M+H]: 250.0640, found: 250.0629.

2-(4-chlorophenyl)-4-allyl-4-isopropyloxazolone (3c):



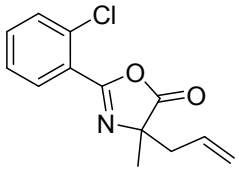
The title compound was prepared according to the general procedure as 90% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.89-7.84 (m, 2H), 7.40-7.36 (m, 2H), 5.52 (dddd, *J* = 16.9, 10.1, 8.0, 6.7 Hz, 1H), 5.11-4.98 (m, 2H), 2.67-2.60 (m, 1H), 2.49 (dd, *J* = 13.6, 8.0 Hz, 1H), 2.11 (dt, *J* = 13.6, 6.8 Hz, 1H), 0.99 (d, *J* = 6.8 Hz, 3H), 0.87 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.28, 159.16, 138.94 , 130.92, 129.22, 129.08, 124.29, 120.37, 39.11, 34.53, 17.05, 16.70. HRMS (ESI) calcd. for $C_{15}H_{16}ClNO_2$ [M+H]: 278.0950, found: 278.0942.

2-(4-chlorophenyl)-4-allyl-4-isobutyloxazolone (3d):



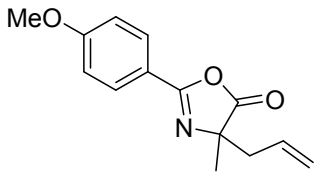
The title compound was prepared according to the general procedure as 87% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.89-7.83 (m, 2H), 7.41-7.36 (m, 2H), 5.58-5.46 (m, 1H), 5.10-4.99 (m, 2H), 2.50 (ddd, *J* = 21.3, 13.5, 7.4 Hz, 2H), 1.88 (dd, *J* = 14.1, 5.5 Hz, 1H), 1.74 (dd, *J* = 14.1, 7.4 Hz, 1H), 1.53 (tq, *J* = 13.3, 6.7 Hz, 1H), 0.84-0.79 (m, 3H), 0.77 (d, *J* = 6.6 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.96, 158.82, 139.00, 130.98, 130.36, 129.16, 124.34, 120.68, 73.32, 45.67, 42.76, 24.93, 23.98, 22.99. HRMS (ESI) calcd. for $C_{16}H_{18}ClNO_2$ [M+H]: 292.1105, found: 292.1099.

2-(2-chlorophenyl)-4-allyl-4-methyloxazolone (3e):



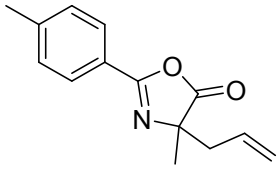
The title compound was prepared according to the general procedure as 86% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.80 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.48 (dtd, *J* = 9.7, 8.0, 1.5 Hz, 2H), 7.41-7.33 (m, 1H), 5.72 (ddt, *J* = 17.2, 10.1, 7.4 Hz, 1H), 5.25-5.13 (m, 2H), 2.72-2.56 (m, 2H), 1.57 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.81, 158.48, 133.74, 132.71, 131.27, 131.15, 130.68, 126.80, 125.43, 120.65, 70.03, 42.14, 23.13. HRMS (ESI) calcd. for $C_{13}H_{12}ClNO_2$ [M+H]: 250.0638, found: 250.0629.

2-(4-methoxyphenyl)-4-allyl-4-methyloxazolone (3f):



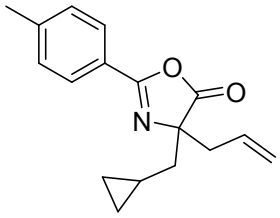
The title compound was prepared according to the general procedure as 70% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.86-7.80 (m, 2H), 6.89-6.85 (m, 2H), 5.57 (dddd, *J* = 17.1, 10.1, 7.7, 6.9 Hz, 1H), 5.10-4.98 (m, 2H), 3.77 (s, 3H), 2.56-2.42 (m, 2H), 1.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.34, 163.13, 159.57, 130.98, 128.82, 120.27, 118.09, 114.16, 69.52, 55.46, 42.40, 23.33. HRMS (ESI) calcd. for $C_{14}H_{15}NO_3$ [M+H]: 246.1124, found: 246.1125.

2-p-tolyl-4-allyl-4-methyloxazolone (3g):



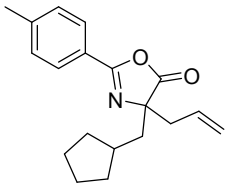
The title compound was prepared according to the general procedure as 85% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83-7.78 (m, 2H), 7.23-7.18 (m, 2H), 5.59 (dddd, *J* = 17.1, 10.1, 7.7, 7.0 Hz, 1H), 5.13-5.00 (m, 2H), 2.59-2.45 (m, 2H), 2.34 (s, 3H), 1.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.25, 159.89, 143.37, 130.91, 129.45, 127.88, 123.04, 120.30, 69.56, 42.35, 23.26, 21.64. HRMS (ESI) calcd. for $C_{14}H_{15}NO_2$ [M+H]: 230.1177, found: 230.1176.

2-p-tolyl-4-allyl-4-cyclopropylmethyloxazolone (3h):



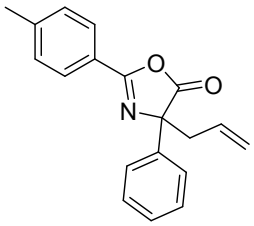
The title compound was prepared according to the general procedure as 82% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.91 (d, *J* = 8.2 Hz, 2H), 7.29 (d, *J* = 8.2 Hz, 2H), 5.65 (ddt, *J* = 17.3, 10.1, 7.3 Hz, 1H), 5.12 (ddd, *J* = 13.6, 11.1, 1.0 Hz, 2H), 2.66 (dd, *J* = 13.7, 6.8 Hz, 1H), 2.57 (dd, *J* = 13.6, 7.8 Hz, 1H), 2.43 (s, 3H), 2.09 (dd, *J* = 14.0, 5.7 Hz, 1H), 1.60 (dt, *J* = 19.9, 10.0 Hz, 1H), 0.72-0.60 (m, 1H), 0.44-0.34 (m, 2H), 0.21-0.09 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.18, 160.06, 143.29 , 130.86, 129.46, 127.89, 123.14, 120.17, 74.06, 41.79, 41.48, 21.65, 5.80, 4.01, 3.81 . HRMS (ESI) calcd. for $C_{17}H_{19}NO_2$ [M+H]: 270.1495, found: 270.1489.

2-p-tolyl-4-allyl-4-cyclopentylmethyloxazolone (3i):



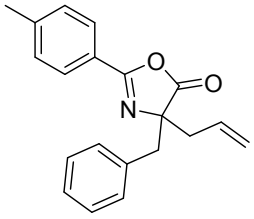
The title compound was prepared according to the general procedure as >99% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.90 (d, *J* = 8.2 Hz, 2H), 7.30 (d, *J* = 8.1 Hz, 2H), 5.69-5.56 (m, 1H), 5.20-5.06 (m, 2H), 2.60 (ddd, *J* = 21.4, 13.5, 7.3 Hz, 2H), 2.43 (s, 3H), 2.15-2.05 (m, 1H), 1.97 (dd, *J* = 13.9, 6.7 Hz, 1H), 1.81-1.62 (m, 2H), 1.61-1.48 (m, 2H), 1.46-1.34 (m, 2H), 1.31-1.23 (m, 1H), 1.20-1.03 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.44, 159.70, 143.34, 130.74, 129.50, 127.91, 123.11, 120.36 , 73.61, 43.33, 42.60, 36.40, 33.64, 32.94, 25.05, 24.98, 21.66. HRMS (ESI) calcd. for $C_{19}H_{23}NO_2$ [M+H]: 298.1808, found: 298.1802.

2-p-tolyl-4-allyl-4-phenyloxazolone (3j):



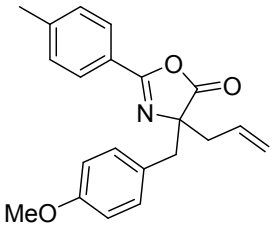
The title compound was prepared according to the general procedure as 98% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.99-7.95 (m, 2H), 7.72-7.67 (m, 2H), 7.41-7.28 (m, 5H), 5.73-5.61 (m, 1H), 5.23-5.09 (m, 2H), 2.94 (ddd, *J* = 7.6, 2.1, 1.2 Hz, 2H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 178.24, 160.19, 143.57, 137.96, 130.70, 129.50, 128.63, 128.19, 128.05, 125.67, 123.01, 120.79, 74.52, 44.97 , 21.70. HRMS (ESI) calcd. for $C_{19}H_{17}NO_2$ [M+H]: 292.1336, found: 292.1332.

2-p-tolyl-4-allyl-4-benzyloxazolone (3k):



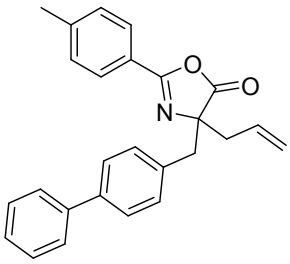
The title compound was prepared according to the general procedure as 86% yield.^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J* = 8.2 Hz, 2H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.19-7.09 (m, 5H), 5.68 (d, *J* = 7.7 Hz, 1H), 5.24-5.08 (m, 2H), 3.18 (q, *J* = 13.4 Hz, 2H), 2.72 (t, *J* = 7.6 Hz, 2H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.20, 159.91, 143.22, 134.35, 130.81, 130.14, 129.36, 128.11, 127.77, 127.14, 122.85, 120.47, 74.71, 43.19, 41.48, 21.65. HRMS (ESI) calcd. for $C_{20}H_{19}NO_2$ [M+H]: 306.1497, found: 306.1489.

2-p-tolyl-4-allyl-4-(4-methoxybenzyl)oxazolone (3l):



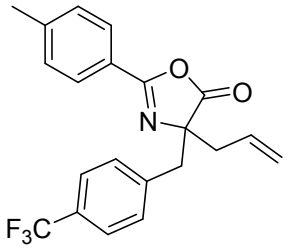
The title compound was prepared according to the general procedure as 93% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.75-7.70 (m, 2H), 7.20 (d, *J* = 8.1 Hz, 2H), 7.09-7.05 (m, 2H), 6.70-6.66 (m, 2H), 5.72-5.60 (m, 1H), 5.27-5.06 (m, 2H), 3.71 (s, 1H), 3.66 (d, *J* = 3.8 Hz, 3H), 3.17-3.05 (m, 2H), 2.75-2.61 (m, 2H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.23, 159.94, 158.62, 143.25, 131.17, 130.87, 129.38, 127.81, 126.36, 122.84, 120.39, 113.51, 74.90, 55.05, 42.35, 41.40, 21.65. HRMS (ESI) calcd. for $C_{21}H_{21}NO_3$ [M+H]: 336.1609, found: 336.1594.

2-p-tolyl-4-allyl-4-biphenyl-4-yl-methyloxazolone (3m):



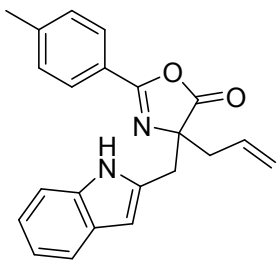
The title compound was prepared according to the general procedure as 82% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.79-7.75 (m, 2H), 7.52-7.48 (m, 2H), 7.45-7.35 (m, 4H), 7.27 (dddd, *J* = 15.8, 14.0, 7.8, 5.2 Hz, 5H), 5.71 (dddd, *J* = 17.0, 10.1, 7.8, 6.9 Hz, 1H), 5.26-5.11 (m, 2H), 3.30-3.16 (m, 2H), 2.82-2.69 (m, 2H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.21, 160.04 , 143.29, 140.64, 139.86, 133.48, 130.80, 130.58, 129.39, 128.64, 127.82, 127.16, 126.82, 126.76, 122.83, 120.53, 74.71, 42.79, 41.51, 21.64. HRMS (ESI) calcd. for $C_{26}H_{23}NO_2$ [M+H]: 382.1809, found: 382.1802.

2-p-tolyl-4-allyl-4-(4-(trifluoromethyl)benzyloxazolone (3n):



The title compound was prepared according to thegeneral procedure as >99% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J* = 8.1 Hz, 2H), 7.43 (d, *J* = 8.1 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.23 (t, *J* = 6.0 Hz, 2H), 5.67 (ddt, *J* = 17.3, 10.1, 7.4 Hz, 1H), 5.27-5.09 (m, 2H), 3.22 (dd, *J* = 31.2, 13.4 Hz, 2H), 2.70 (dd, *J* = 13.4, 6.0 Hz, 2H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 178.85, 160.26, 143.58, 138.57, 130.52, 130.44, 129.47, 127.80, 125.08, 125.05, 122.53, 120.82, 74.31, 63.65, 42.64, 41.57, 21.64. HRMS (ESI) calcd. for $C_{21}H_{18}F_3NO_2$ [M+H]: 374.1374, found: 374.1362.

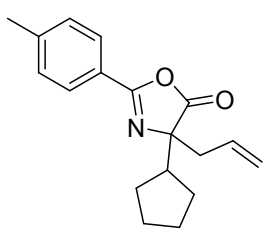
2-p-tolyl-4-allyl-4-(1H-indol-2-yl)methyloxazolone (3o):



The title compound was prepared according to the general procedure as 90% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.11 (s, 1H), 7.75-7.67 (m, 3H), 7.23-7.14 (m, 3H), 7.11-7.06 (m, 2H), 7.00 (s, 1H), 5.78-5.66 (m, 1H), 5.26-5.09 (m, 2H), 3.37 (d, *J* = 1.2 Hz, 2H), 2.80 (qd, *J* = 13.7, 7.3 Hz, 2H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.65, 160.15, 143.16, 135.72, 131.08, 129.28 , 127.85, 127.64, 123.79, 122.83, 121.84, 120.28, 119.51, 119.44, 110.88, 108.80, 75.25, 41.20, 33.02, 21.61. HRMS (ESI) calcd. for $C_{22}H_{20}N_2O_2$ [M+H]:

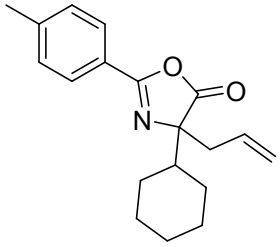
345.1612, found: 345.1598.

2-p-tolyl-4-allyl-4-cyclopentyloxazolone (3p):



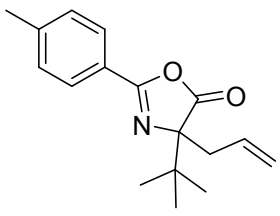
The title compound was prepared according to the general procedure as 77% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.87 (d, *J* = 8.2 Hz, 2H), 7.25 (dd, *J* = 6.2, 5.8 Hz, 2H), 5.60 (dddd, *J* = 16.8, 10.1, 8.1, 6.6 Hz, 1H), 5.17-5.01 (m, 2H), 2.70 (dd, *J* = 13.6, 6.6 Hz, 1H), 2.62-2.53 (m, 1H), 2.40 (s, 3H), 1.86-1.73 (m, 1H), 1.66-1.44 (m, 6H), 1.31-1.20 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.78, 160.00, 143.28, 131.15, 129.43, 127.93, 123.04, 120.05, 75.69, 46.04, 40.49, 27.19, 26.62, 25.33, 25.23, 21.66. HRMS (ESI) calcd. for $C_{18}H_{21}NO_2$ [M+H]: 284.1653, found: 284.1645.

2-p-tolyl-4-allyl-4-cyclohexyloxazolone (3q):



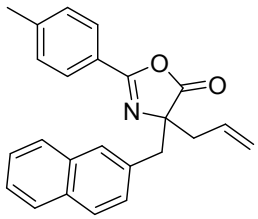
The title compound was prepared according to the general procedure as 77% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.81 (t, *J* = 7.3 Hz, 2H), 7.23-7.19 (m, 2H), 5.54 (dddd, *J* = 16.9, 10.1, 8.0, 6.6 Hz, 1H), 5.12-4.97 (m, 2H), 2.65 (dd, *J* = 13.5, 6.6 Hz, 1H), 2.53-2.44 (m, 1H), 2.34 (d, *J* = 10.6 Hz, 3H), 1.86-1.50 (m, 6H), 1.21-1.07 (m, 5H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.80, 159.93, 143.26, 131.07, 129.42, 127.92, 123.01, 120.19, 43.99, 38.75, 26.92, 26.72, 26.19, 26.05, 25.97, 21.65 . for $C_{19}H_{23}NO_2$ [M+H]: 298.1807, found: 298.1802.

2-p-tolyl-4-allyl-4-tert-butyloxazolone (3r):



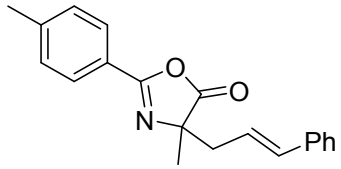
The title compound was prepared according to the general procedure as yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.92-7.87 (m, 2H), 7.30-7.26 (m, 2H), 5.57-5.44 (m, 1H), 5.18-5.00 (m, 2H), 2.72 (dddd, *J* = 31.9, 21.5, 7.8, 4.6 Hz, 2H), 2.43 (s, 3H), 1.09 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.36, 159.48, 143.15, 131.54, 129.39 , 127.89, 123.09, 120.25, 79.12, 37.28, 36.05, 24.97, 21.65. HRMS (ESI) calcd. for $C_{17}H_{21}NO_2$ [M+H]: 272.1649, found: 272.1645.

2-p-tolyl-4-allyl-4-naphthalen-2-ylmethyloxazolone (3s):



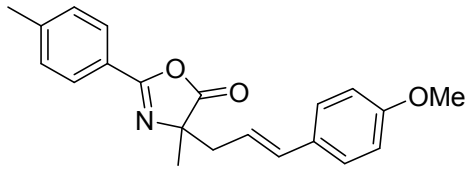
The title compound was prepared according to the general procedure as 90% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.76-7.69 (m, 4H), 7.65 (d, *J* = 8.0 Hz, 2H), 7.44-7.38 (m, 2H), 7.33 (d, *J* = 9.7 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 5.78-5.65 (m, 1H), 5.19 (dd, *J* = 37.0, 13.6 Hz, 2H), 3.42-3.29 (m, 2H), 2.86-2.71 (m, 2H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 179.21, 160.05, 143.25, 133.18, 132.43, 132.07 , 130.75, 129.34, 129.04, 128.25, 127.80, 127.59, 127.48, 125.83, 125.65, 122.76, 120.58, 74.80, 43.23, 41.66, 21.63. HRMS (ESI) calcd. for $C_{24}H_{21}NO_2$ [M+H]: 356.1648, found: 356.1645.

2-p-tolyl-4-cinnamyl-4-methyloxazolone (3t):



The title compound was prepared according to the general procedure as 84% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.90-7.85 (m), 7.30-7.26 (m), 7.23 (dt, *J* = 3.5, 1.2 Hz), 7.19 (ddt, *J* = 6.6, 3.7, 2.0 Hz), 6.52 (d, *J* = 15.8 Hz), 6.06 (ddd, *J* = 15.7, 7.8, 7.2 Hz), 2.82-2.68 (m), 2.42, 1.56; ^{13}C NMR (100 MHz, $CDCl_3$) δ = 177.79, 157.50, 140.86, 134.21, 132.60, 126.91, 125.85, 125.35, 124.93, 123.76, 120.41, 119.62, 67.20, 39.11, 20.78, 19.11. HRMS (ESI) calcd. for $C_{20}H_{19}NO_2$ [M+H]: 306.1492, found: 306.1507.

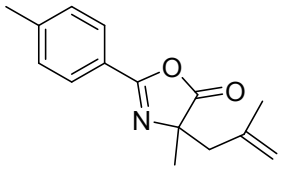
(E)-2-p-tolyl-4-(3-(4-methoxyphenyl)allyl)-4-methyloxazolone (3u):



The title compound was prepared according to the general procedure as 78% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.91-7.83 (m, 2H), 7.29 (s, 1H), 7.26 (d, *J* = 0.6 Hz, 1H), 7.23-7.16 (m, 2H), 6.85-6.74 (m, 2H), 6.45 (d, *J* = 15.7 Hz, 1H), 5.97-5.85 (m, 1H), 3.77 (s, 3H), 2.79-2.66 (m, 2H), 2.42 (s, 3H), 1.55 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.47, 159.99, 159.12, 143.36, 134.54, 129.61, 129.47, 127.90 , 127.49, 123.04 ,

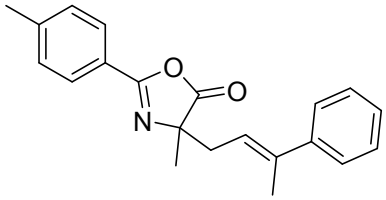
119.88, 113.83, 69.91, 55.22, 41.75, 23.32, 21.68. HRMS (ESI) calcd. for $C_{21}H_{21}NO_3$ [M+H]: 336.1599, found: 336.1594.

2-p-tolyl-4-(2-methylallyl)-4-methyloxazolone (3v):



The title compound was prepared according to the general procedure as 97% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.89-7.84 (m, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 4.83-4.81 (m, 1H), 4.78 (d, *J* = 0.9 Hz,1H), 2.64-2.53 (m, 2H), 2.42 (s, 3H), 1.74-1.70 (m, 3H), 1.52 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.67, 159.71, 143.31, 140.07, 129.48, 127.84, 123.16, 115.73, 70.25, 45.70, 24.07, 24.02, 21.66. HRMS (ESI) calcd. for $C_{15}H_{17}NO_2$ [M+H]: 244.1333, found: 244.1332.

(E)-2-p-tolyl-4-(3-phenylbut-2-enyl)-4-methyloxazolone (3w):

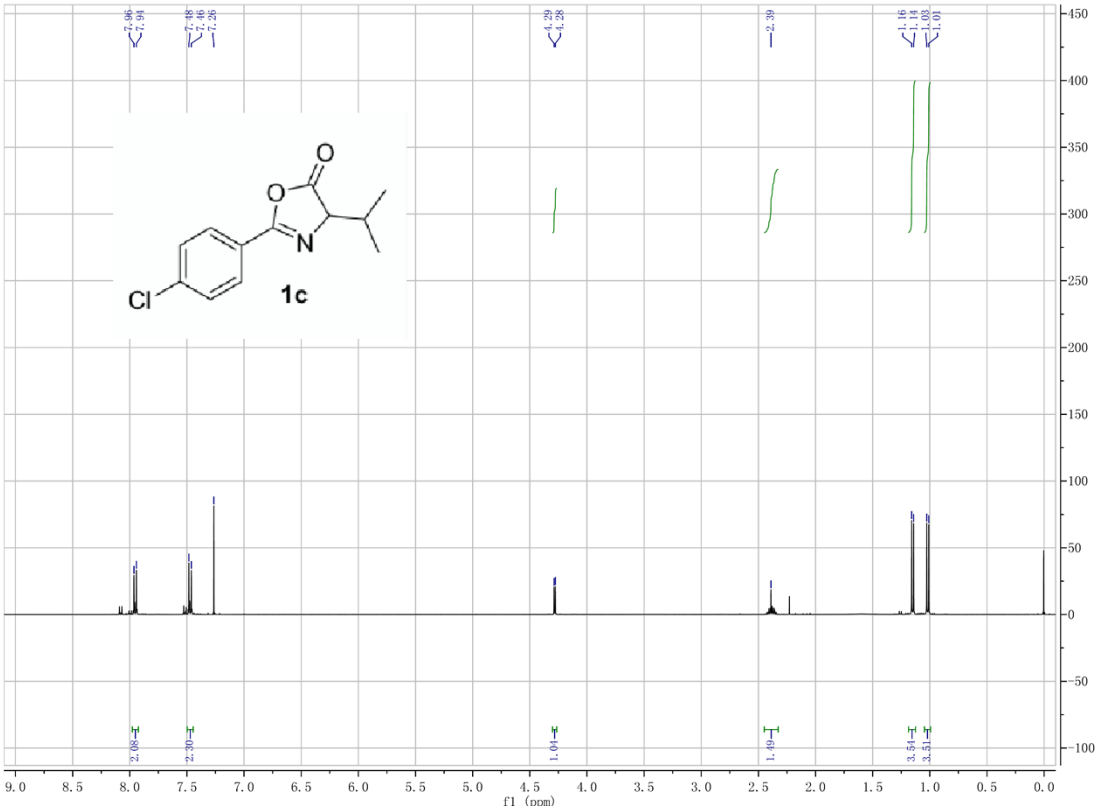


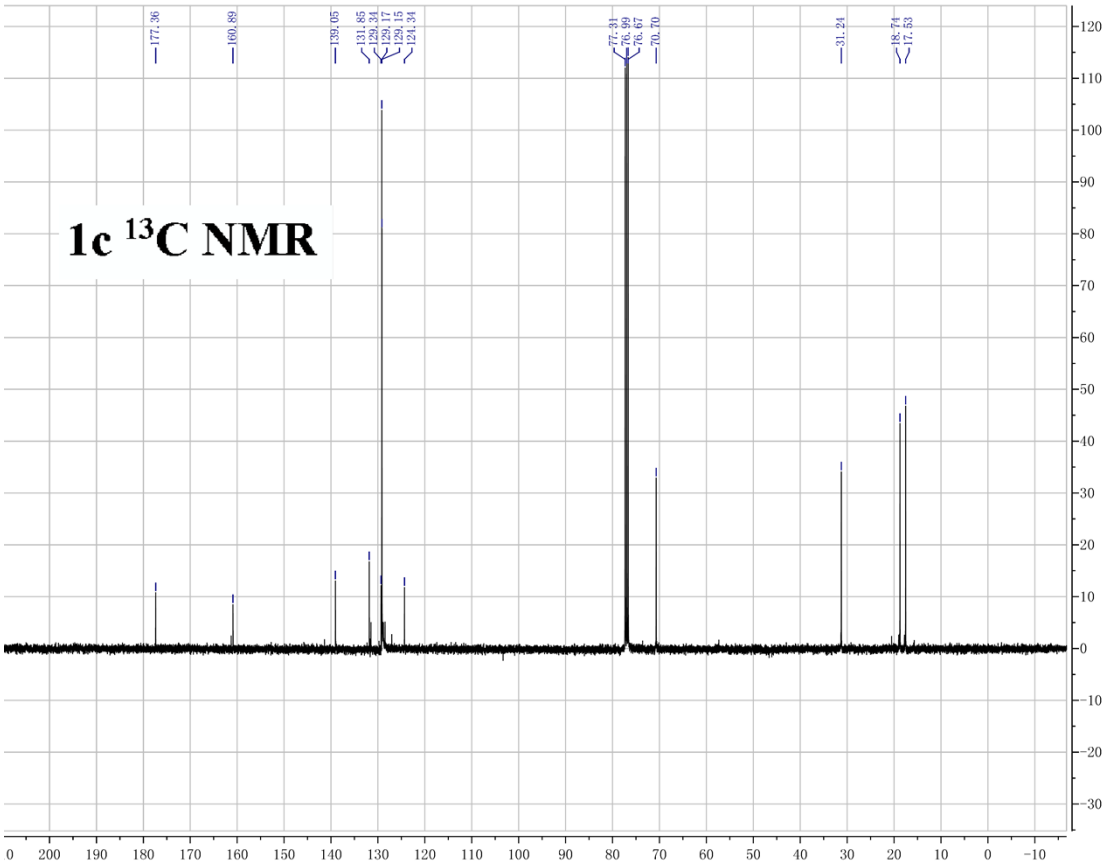
The title compound was prepared according to the general procedure as 89% yield. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.90-7.84 (m), 7.27 (d, *J* = 8.0 Hz), 7.25-7.22 (m), 7.21-7.15 (m), 5.62 (ddd, *J* = 9.1, 6.4, 1.4 Hz), 2.78 (dt, *J* = 7.5, 3.8 Hz), 2.41, 2.04 (t, *J* = 6.1 Hz), 1.59; ^{13}C NMR (100 MHz, $CDCl_3$) δ = 180.64, 159.97, 143.45, 143.35, 140.22, 129.49, 128.10, 127.86, 126.97, 125.86, 123.04, 119.88, 69.98, 37.45, 23.30, 21.66, 16.44. HRMS (ESI) calcd. for $C_{21}H_{21}NO_2$ [M+Na]: 342.1465, found: 342.1462.

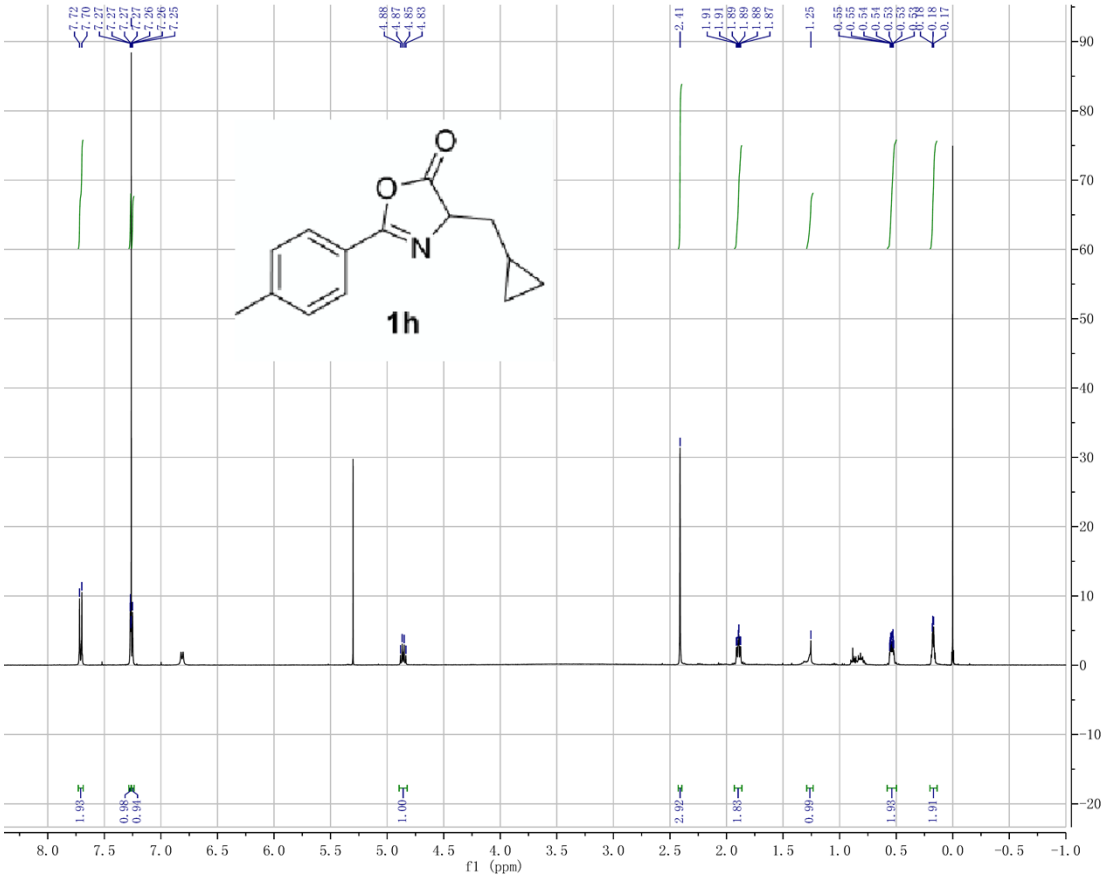
References

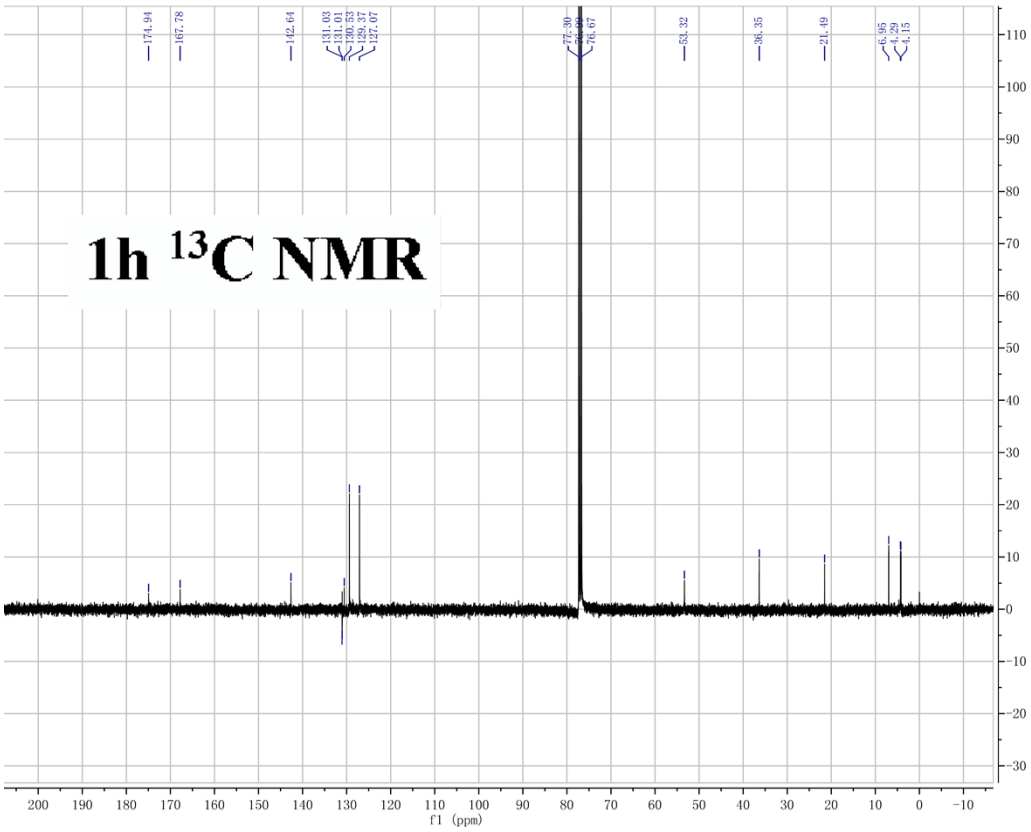
1. D. K. Kahlon, T. A. Lansdell, J. S. Fisk, *J. Med. Chem.*, **2009**, *52*, 1302-1309.
2. J. Dietrich, V. Gokhale, X. Wang, *Bioorg. Med. Chem.*, **2010**, *18*, 292-304.
3. S. A. Shaw, P. Aleman, J. Christy, *J. Am Chem Soc.*, **2006**, *128*, 925-934.

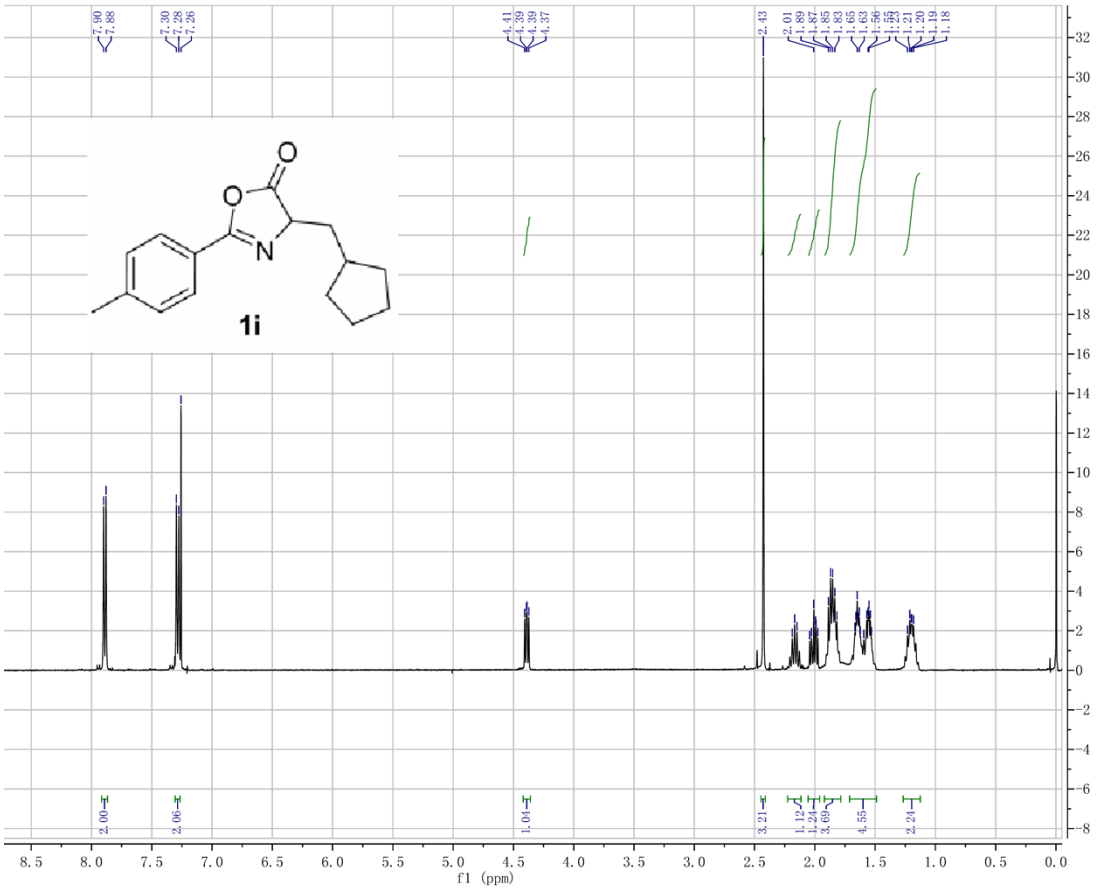
7 ^{1}H and ^{13}C NMR spectra for all compounds


1c


1c ^{13}C NMR


1h
f1 (ppm)


1h ^{13}C NMR
f1 (ppm)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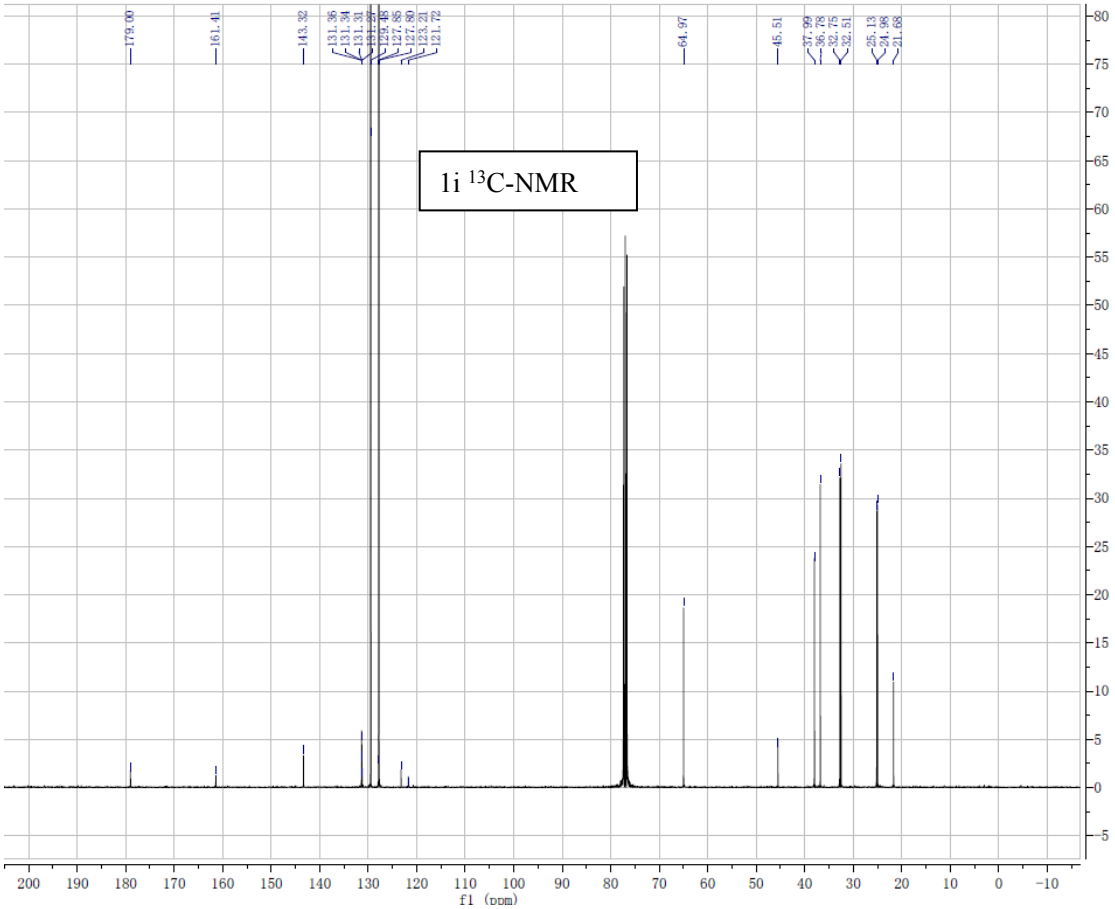
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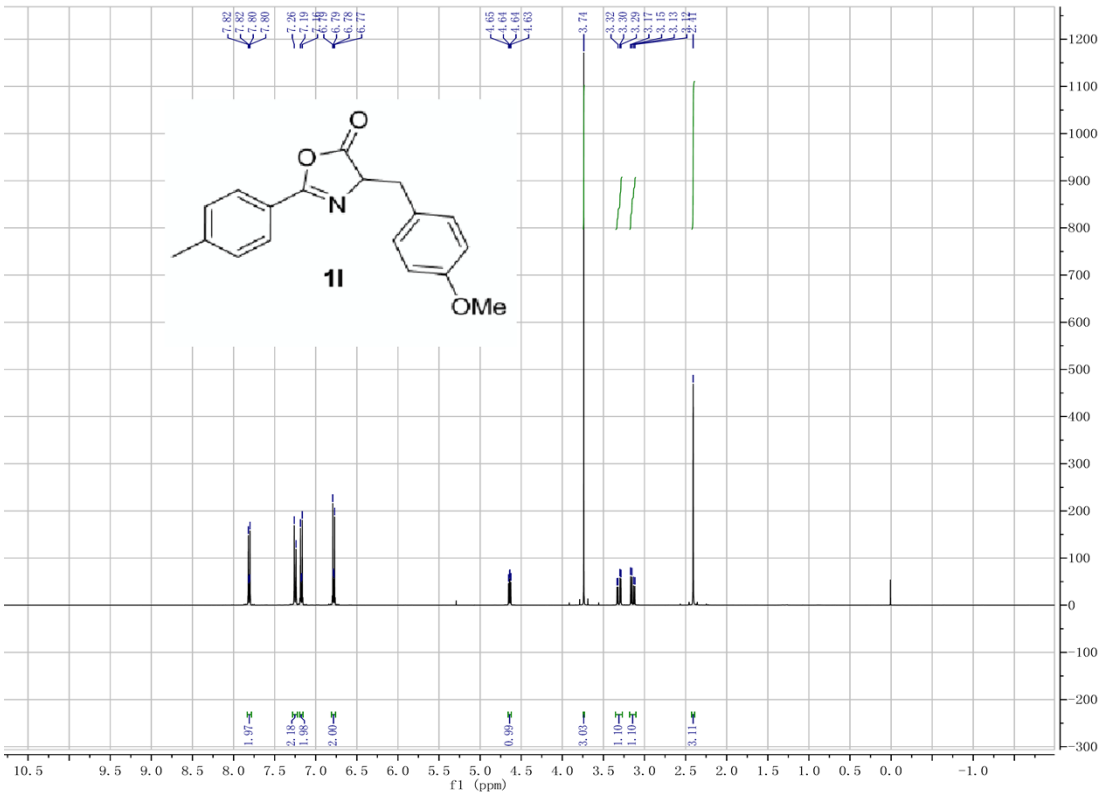
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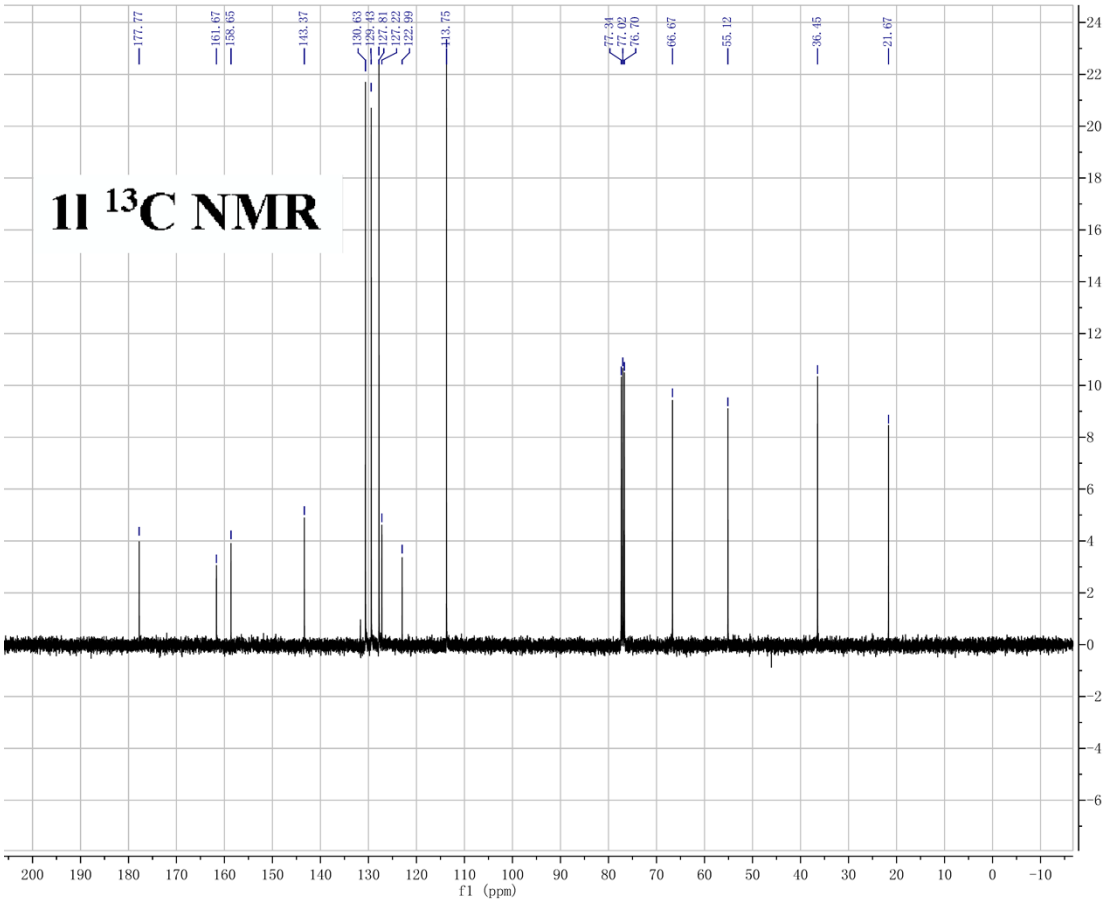
OMe
f1 (ppm)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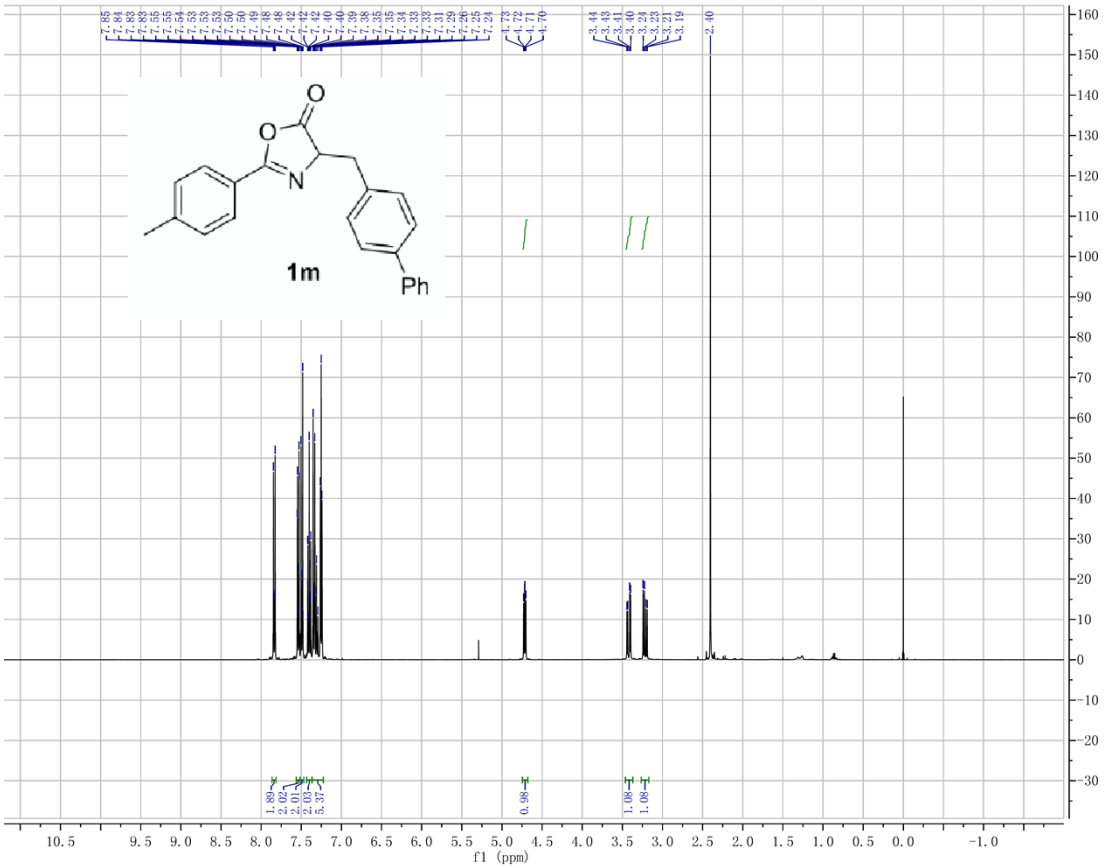
f1 (ppm)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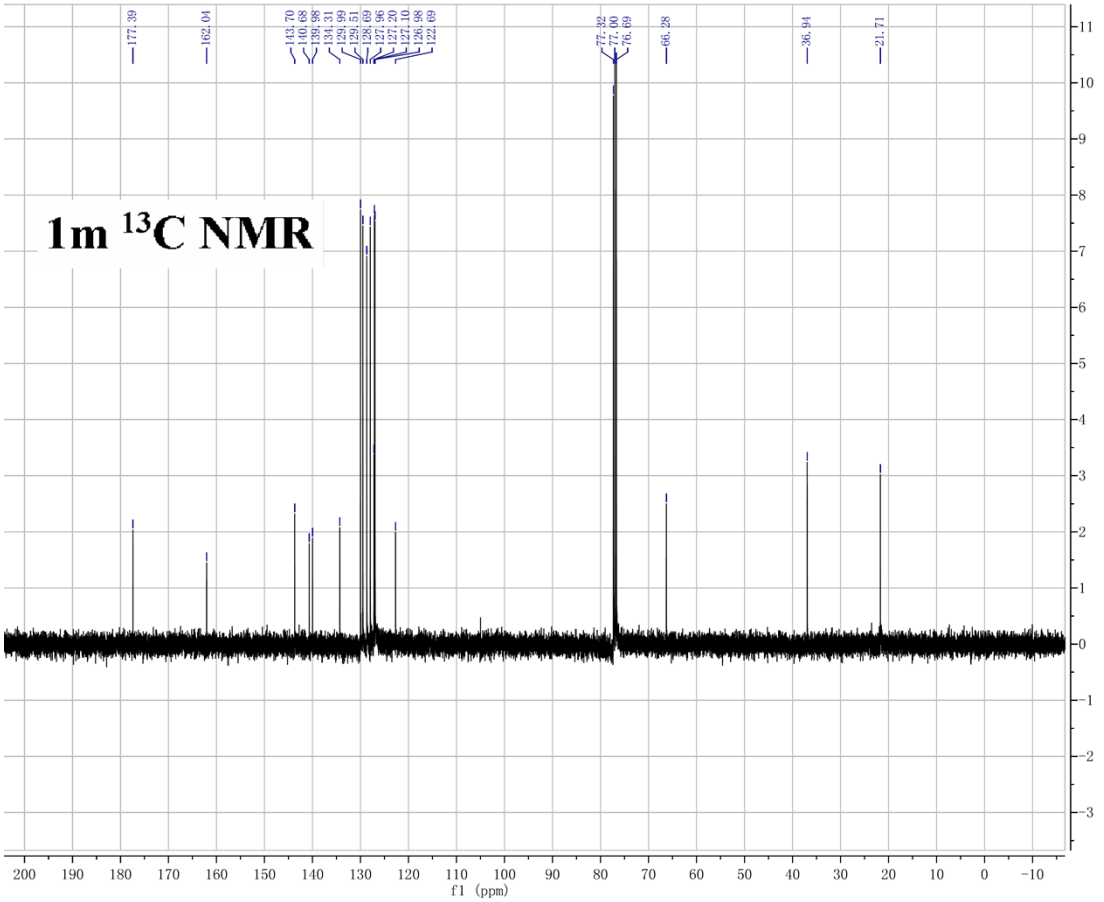
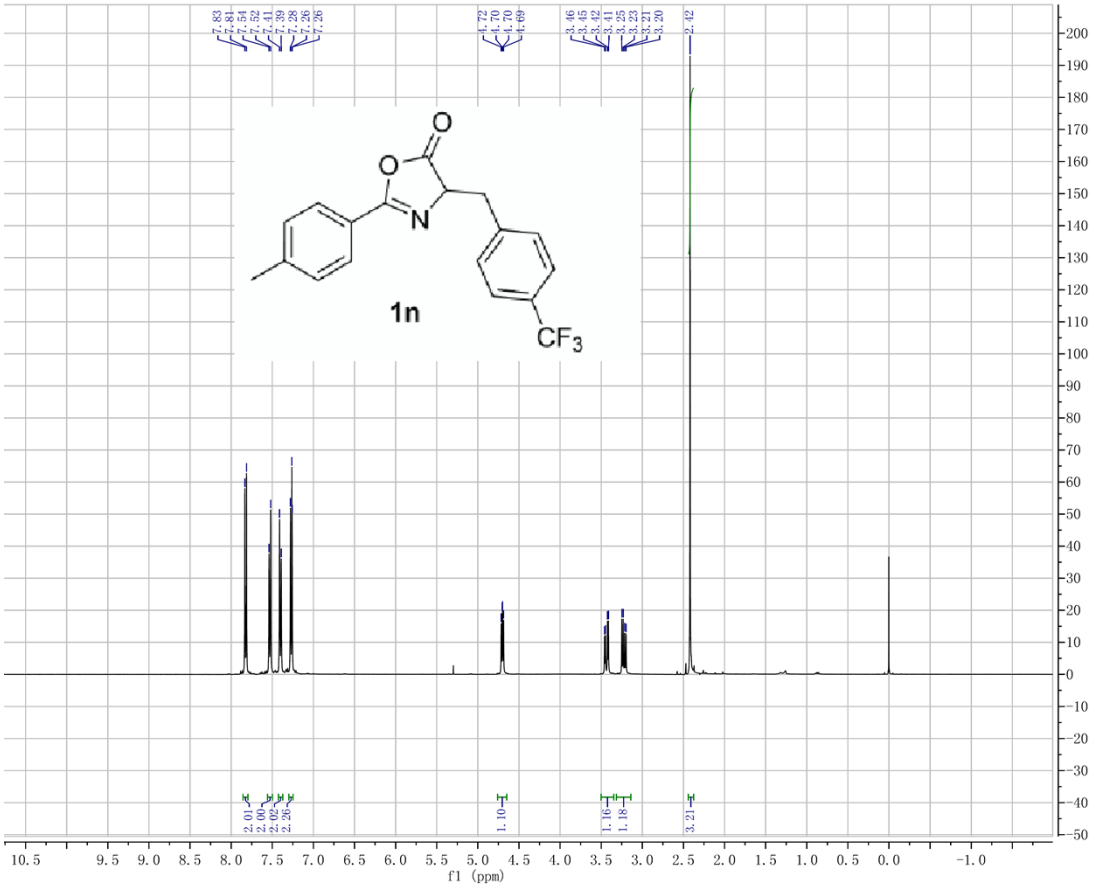
Ph
f1 (ppm)


1m 13C NMR
f1 (ppm)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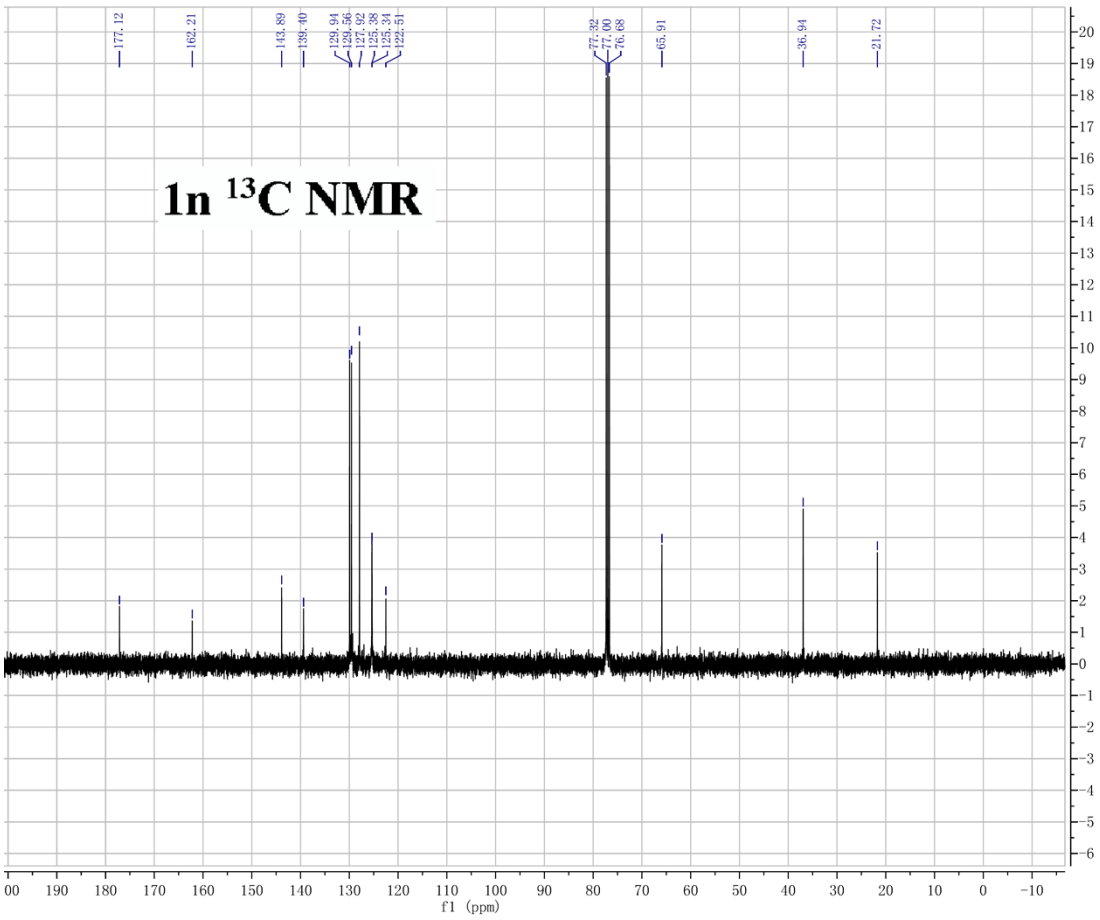
7.81
7.54
7.52
7.41
7.39
7.28
7.26
7.26
4.72
4.70
4.70
4.69
3.46
3.45
3.42
3.41
3.25
3.23
3.21
3.20
2.42
O
O
N
1n
CF3
2.01
2.00
2.02
2.26
1.10
1.16
1.18
3.21
f1 (ppm)


1n ^{13}C NMR
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162.21
143.89
139.40
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125.38
125.34
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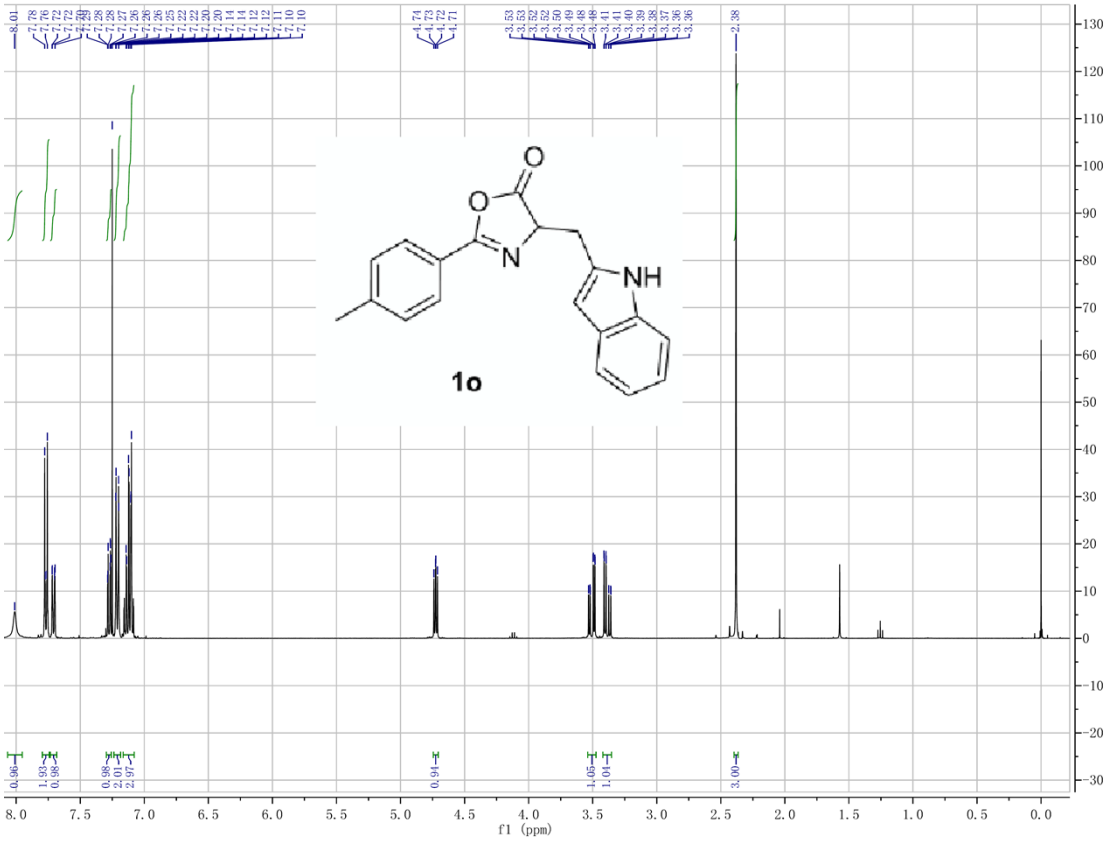
76.68
65.91
36.94
21.72
f1 (ppm)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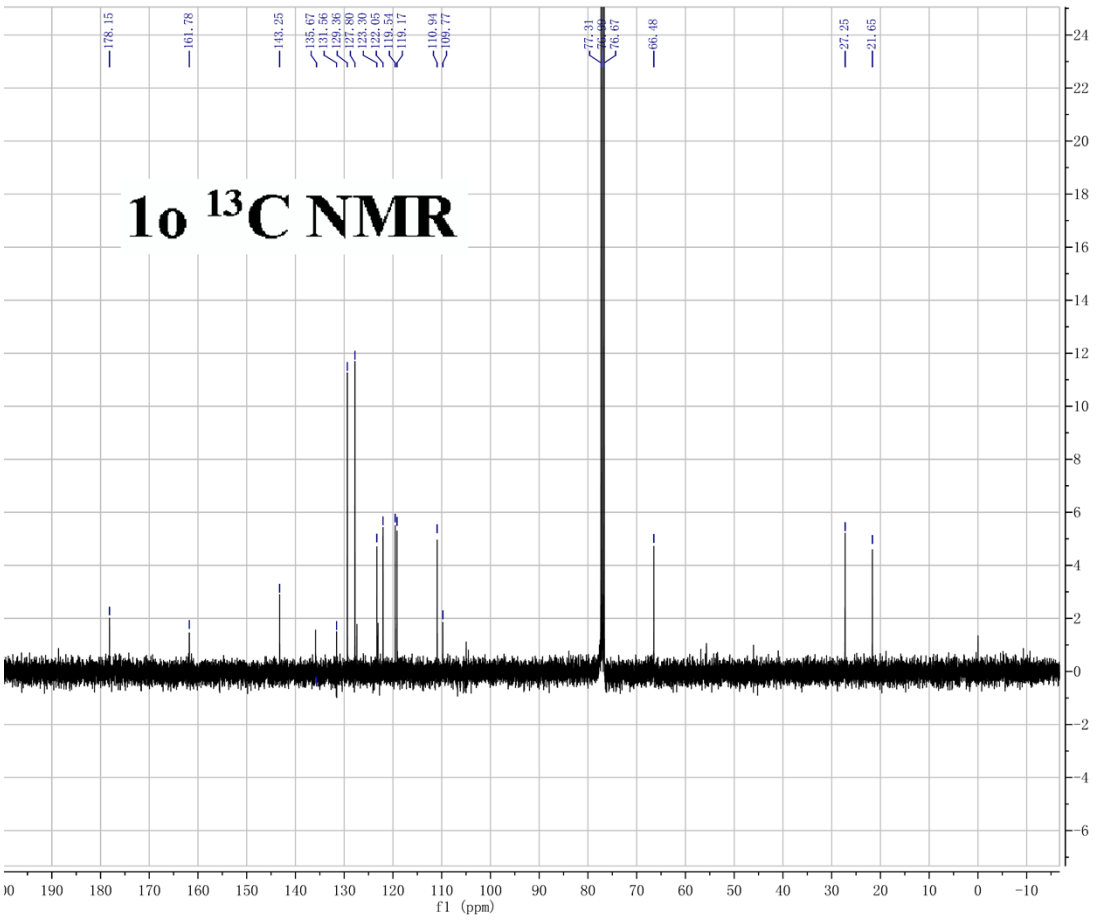
f1 (ppm)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143.25
135.67
131.56
129.36
127.80
123.30
122.05
119.54
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110.94
109.77
77.31
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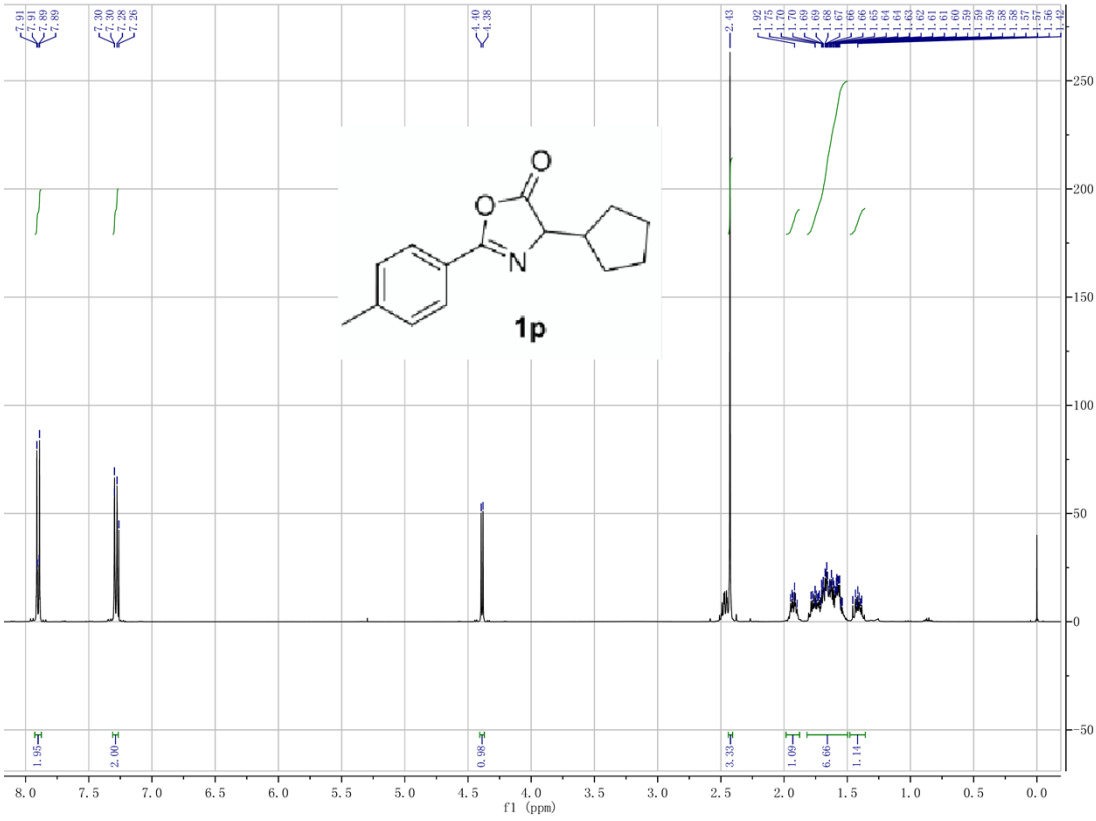
66.48
27.25
21.65
f1 (ppm)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7.89
7.30
7.30
7.28
7.26
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4.38
2.43
1.92
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1.70
1.70
1.69
1.69
1.68
1.67
1.66
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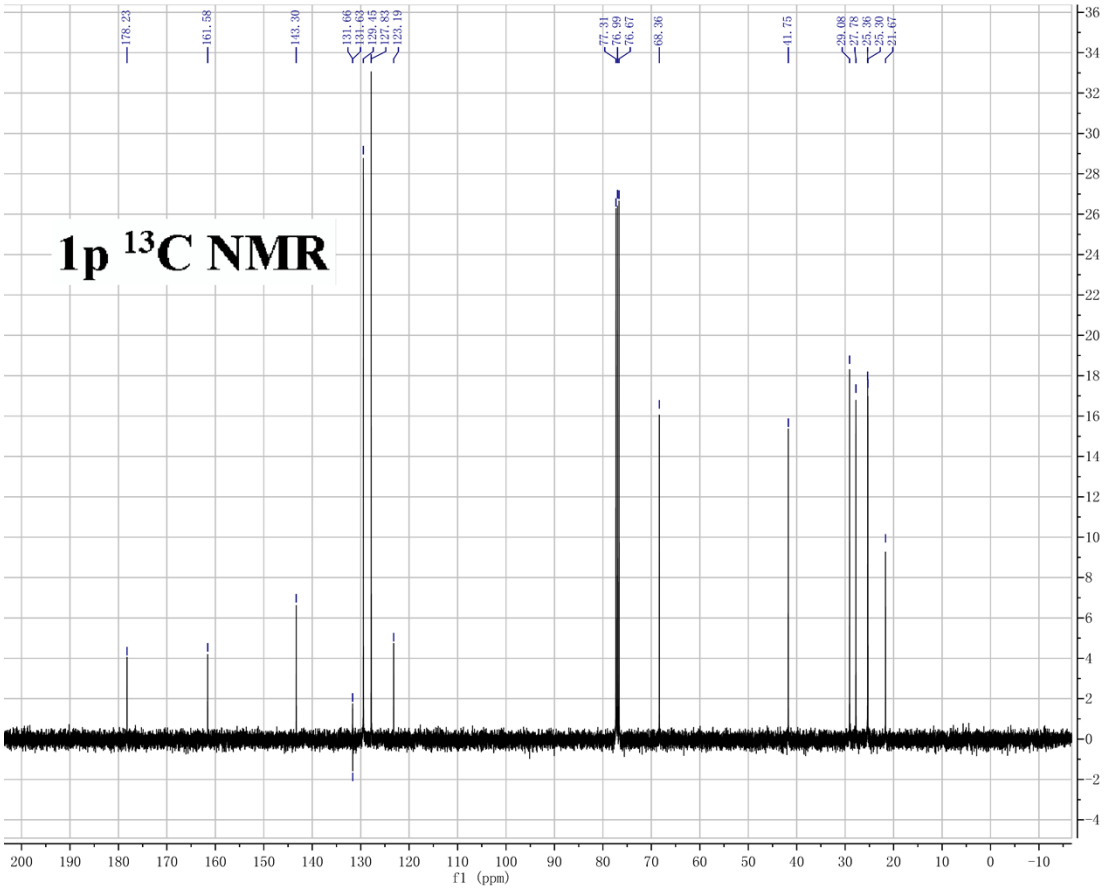
1.65
1.64
1.64
1.63
1.62
1.61
1.61
1.60
1.59
1.59
1.59
1.58
1.58
1.57
1.57
1.56
1.42
1p
1.95
2.00
0.98
3.33
1.09
6.66
1.14
f1 (ppm)


1p ^{13}C NMR
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143.30
131.66
131.63
129.45
127.83
123.19
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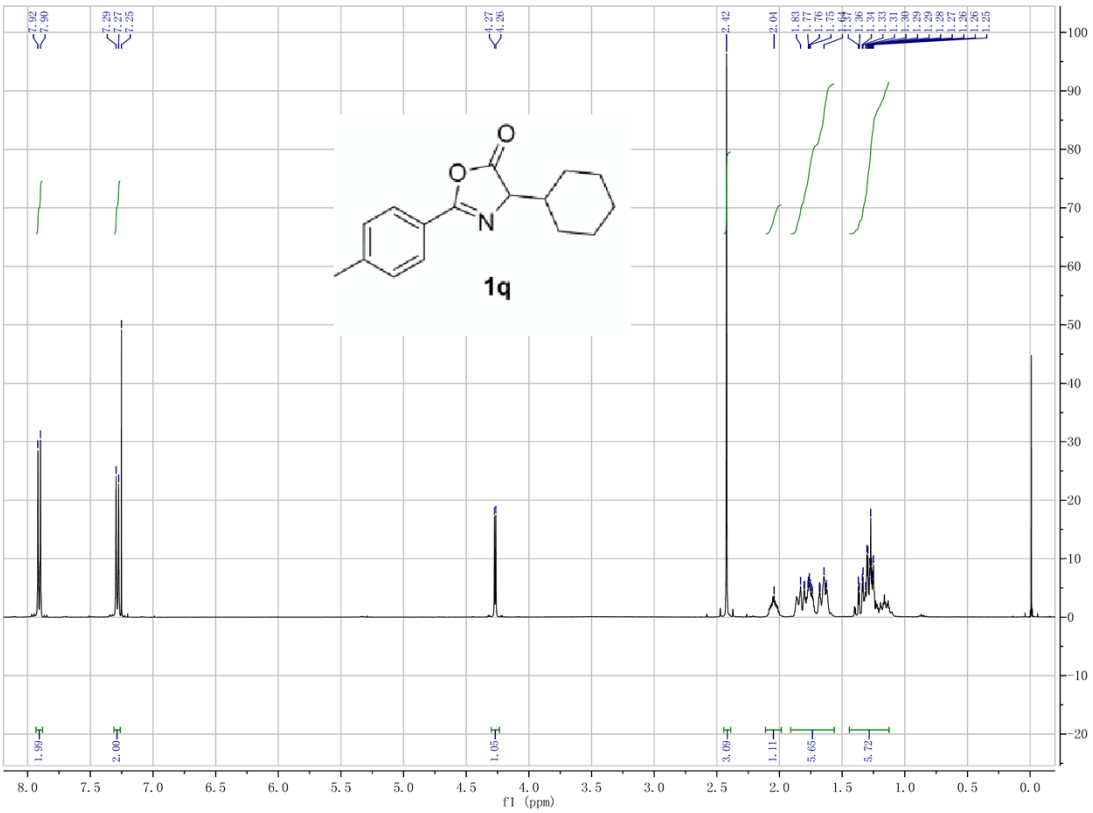
27.78
25.36
25.30
21.67
f1 (ppm)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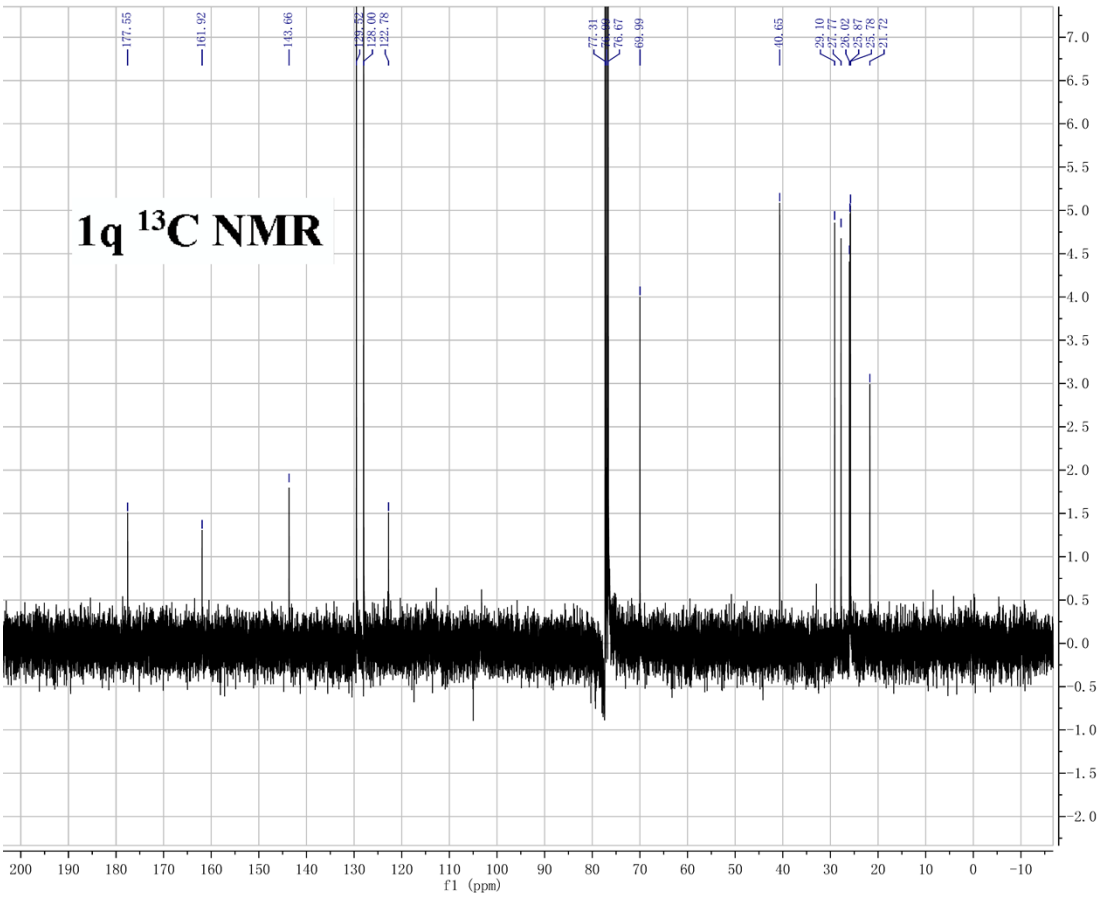
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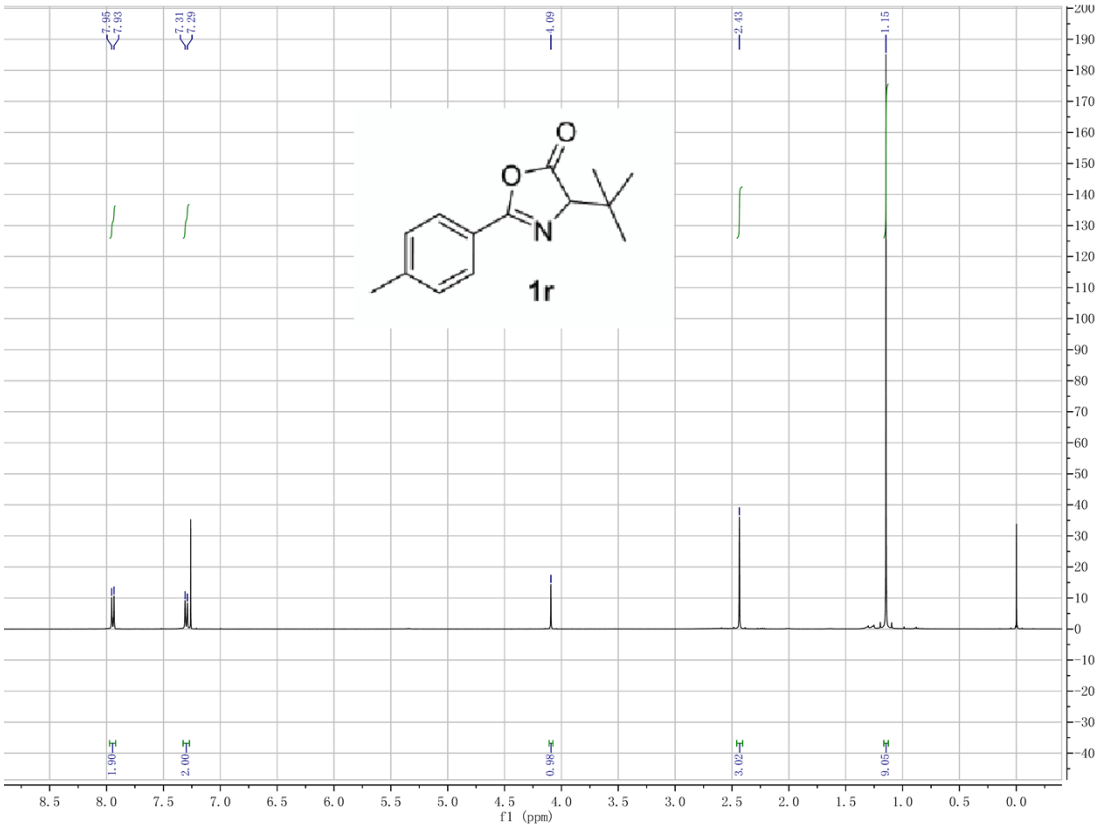
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7.93
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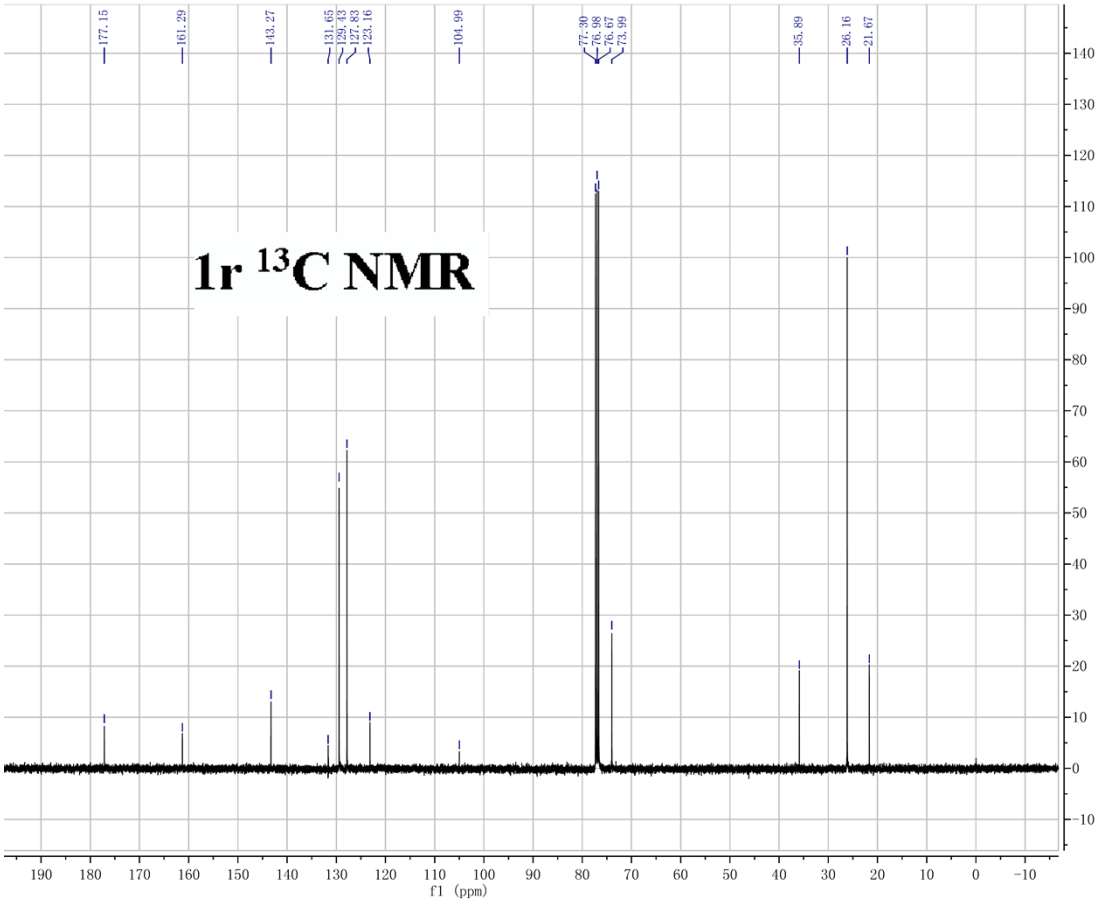
1r
1.90
2.00
0.98
3.02
9.05
f1 (ppm)


1r ^{13}C NMR
177.15
161.29
143.27
131.65
129.43
127.83
123.16
104.99
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76.98
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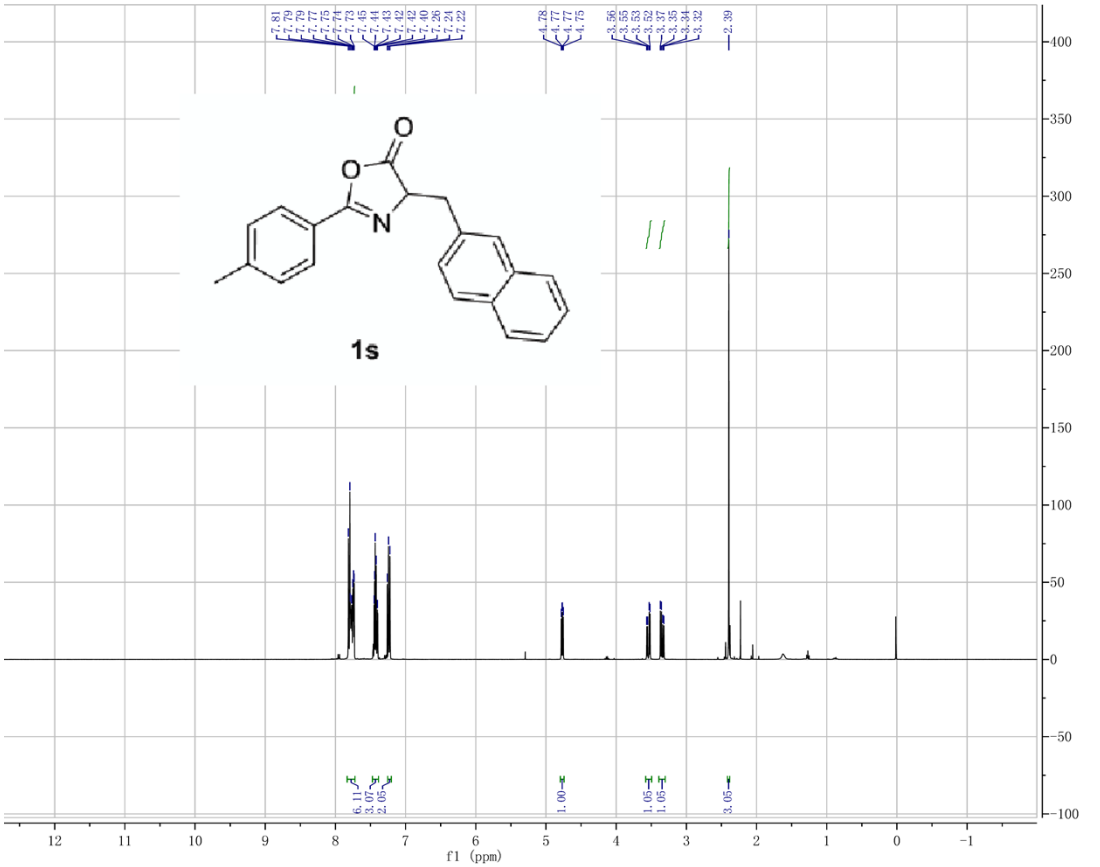
73.99
35.89
26.16
21.67
f1 (ppm)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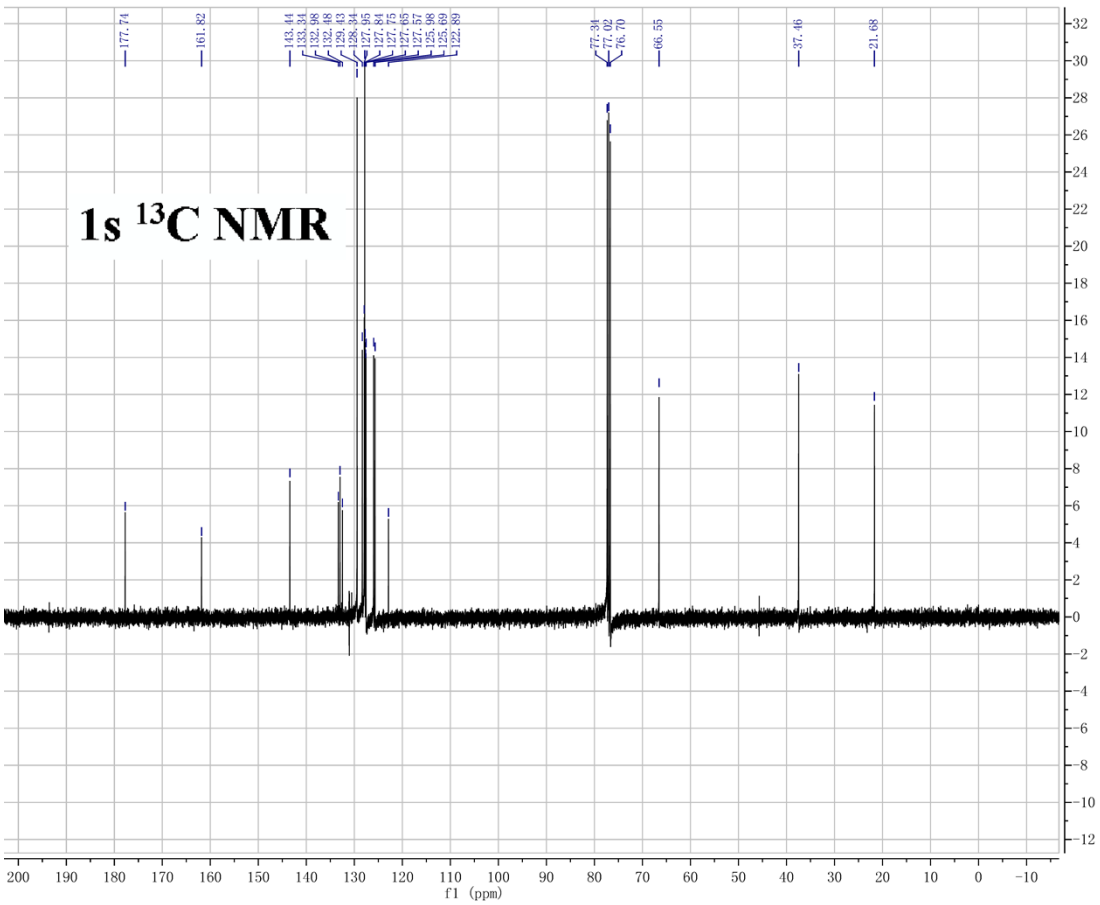
f1 (ppm)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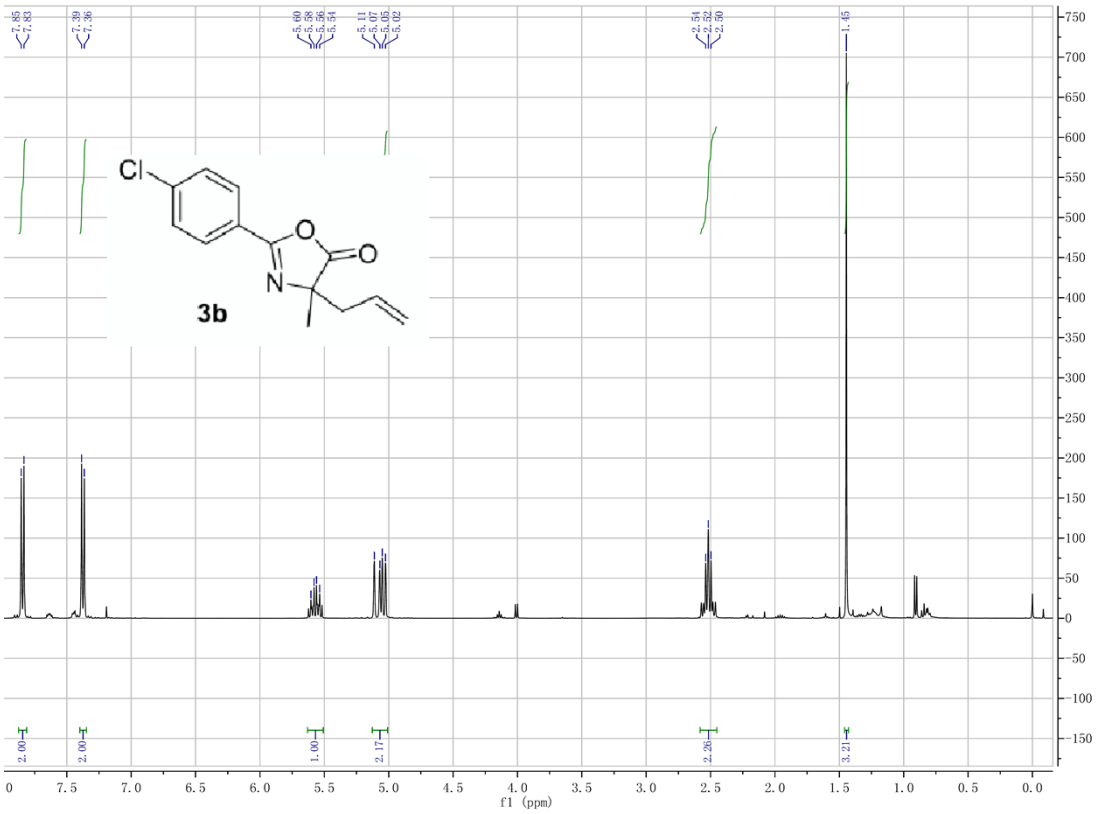
f1 (ppm)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5.69
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5.56
5.54
5.11
5.07
5.05
5.02
2.54
2.52
2.50
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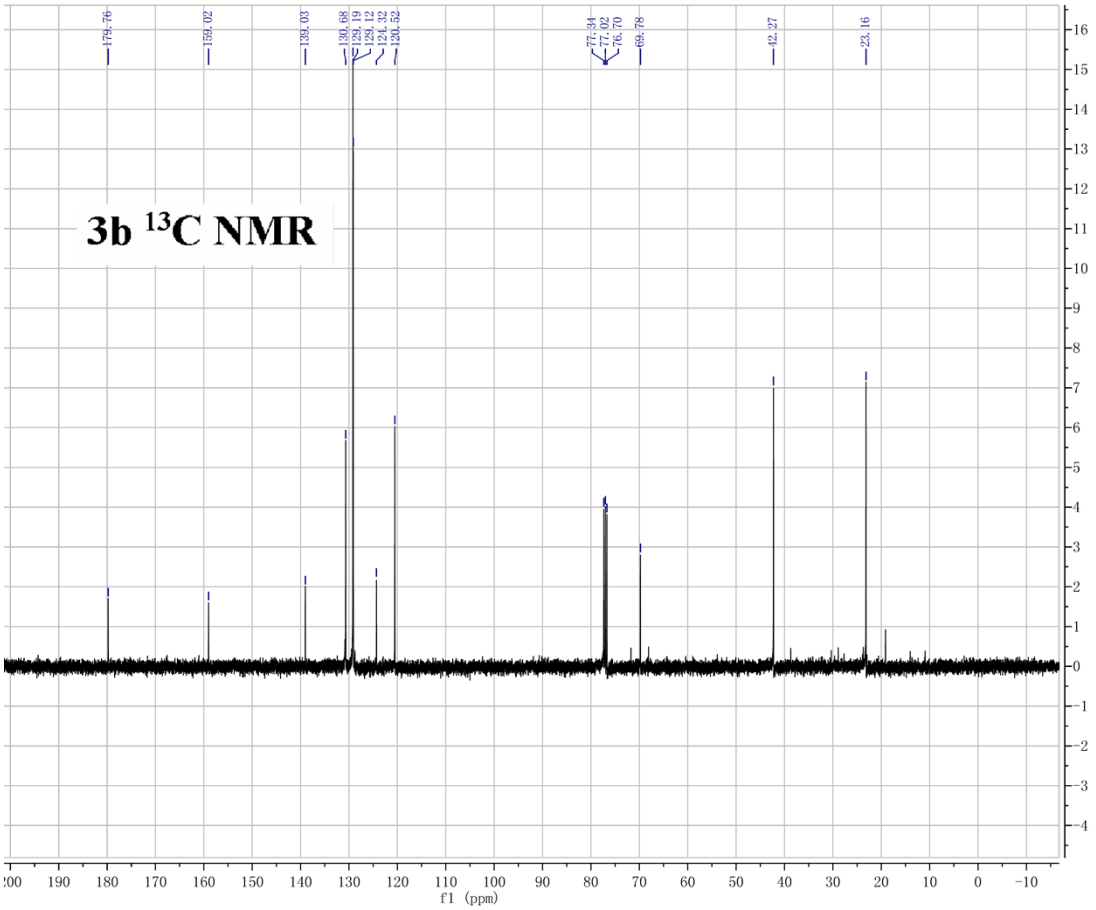
Cl
O
O
N
3b
2.00
2.00
1.00
2.17
2.26
3.21
f1 (ppm)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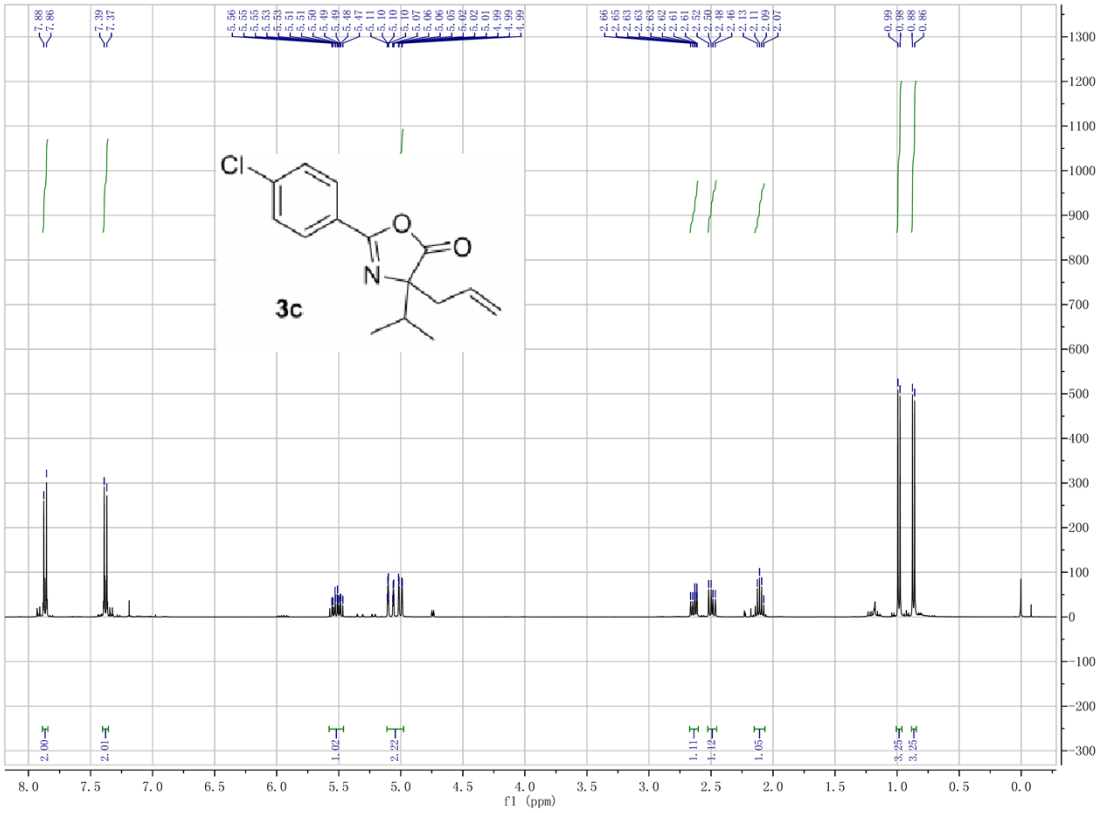
f1 (ppm)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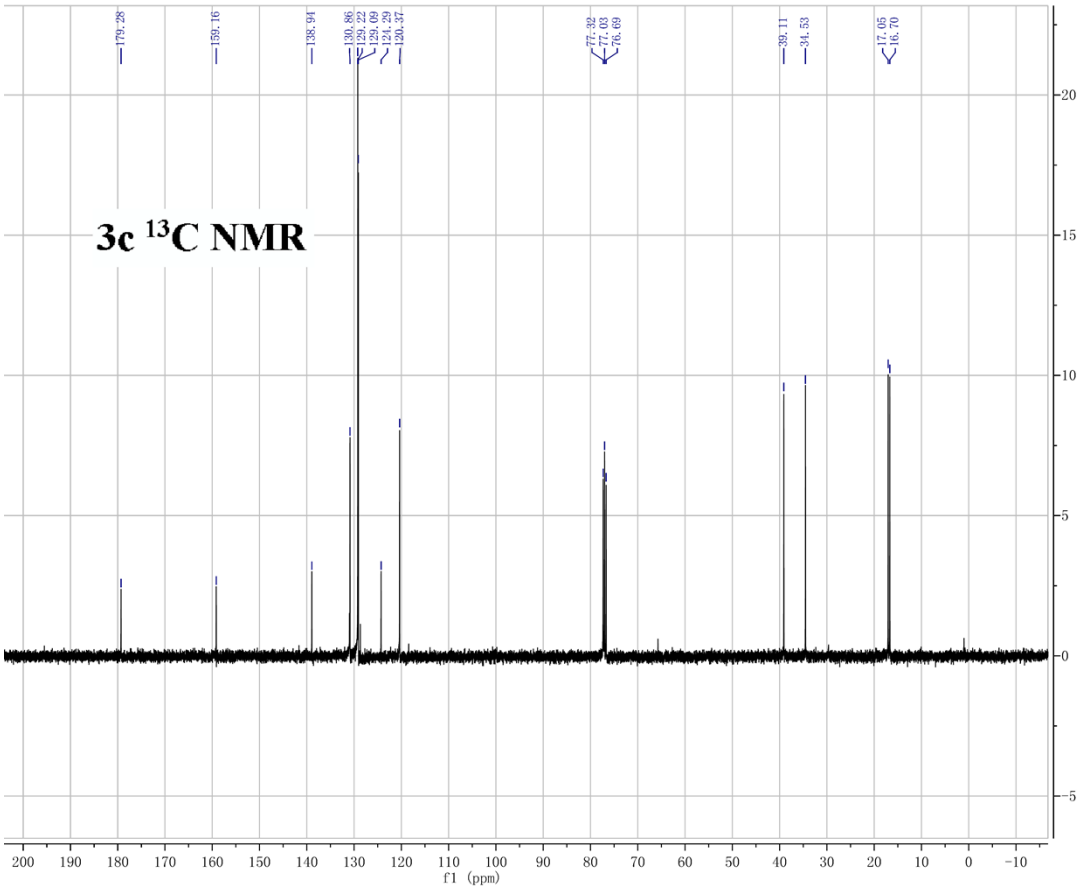
O
O
N
3c
f1 (ppm)


3c ^{13}C NMR
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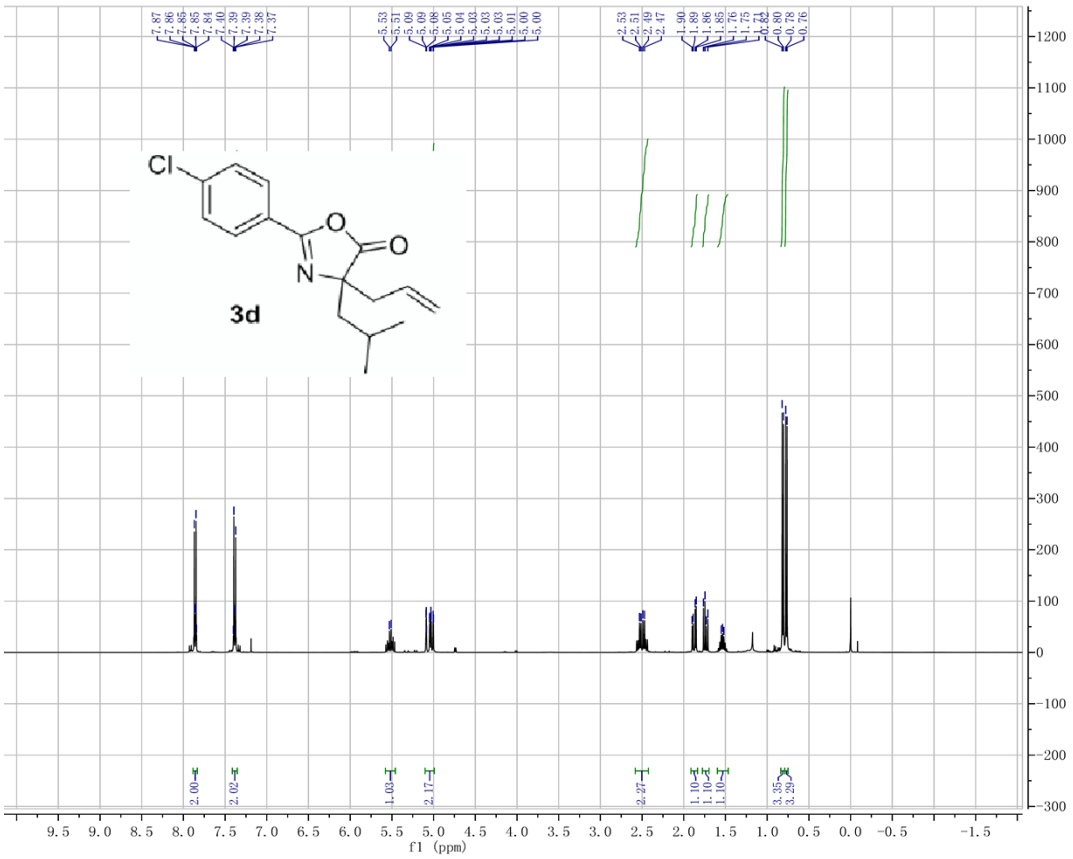
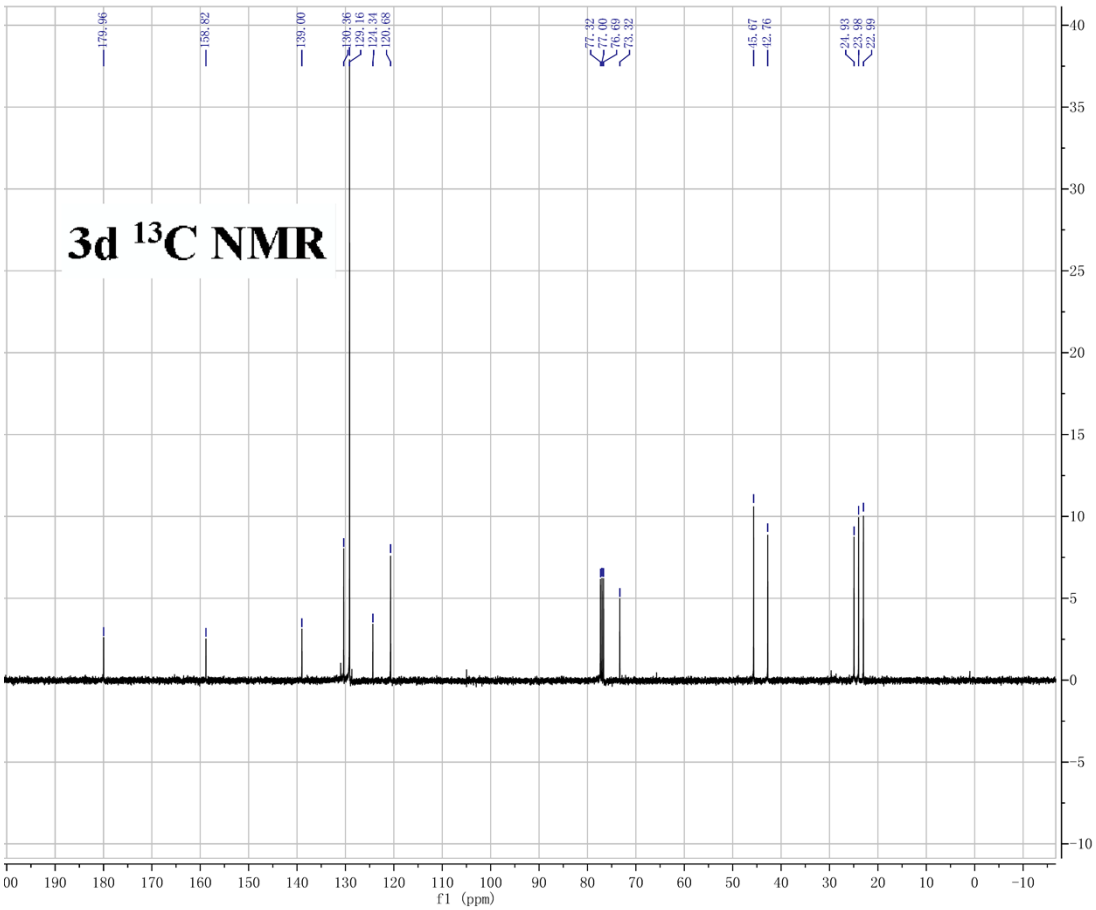

Cl
O
O
N
3d
f1 (ppm)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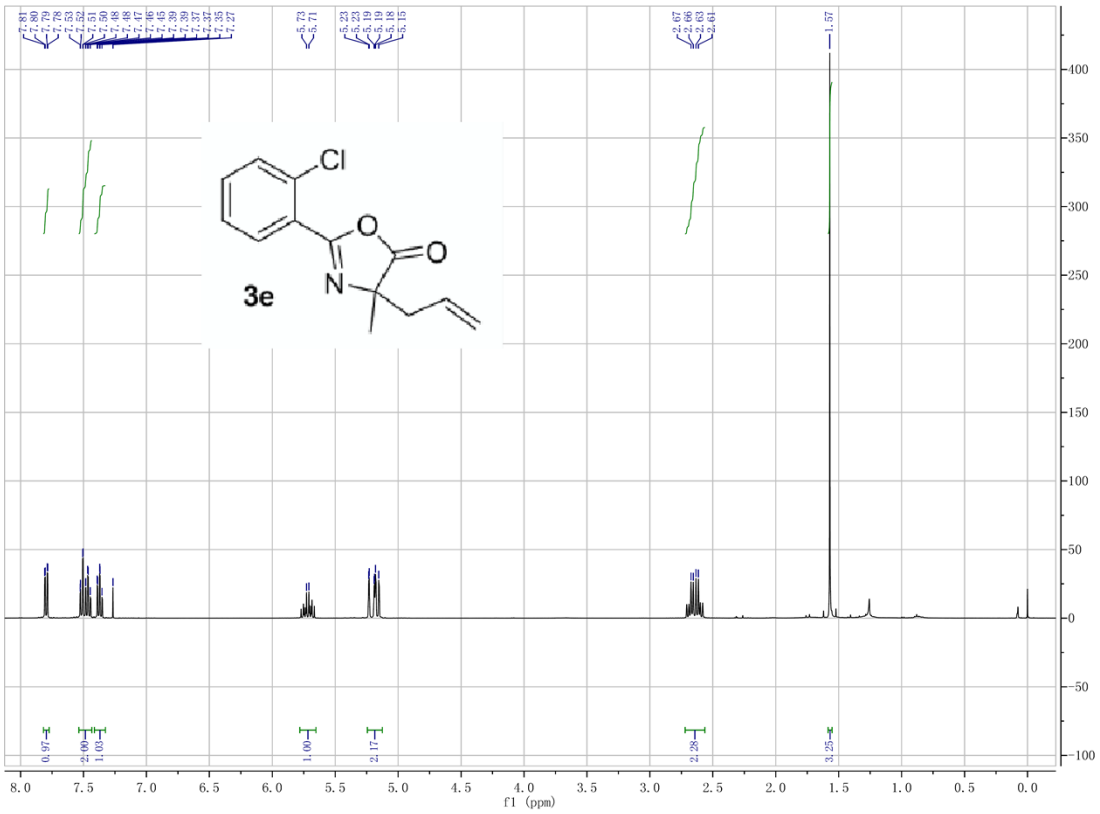
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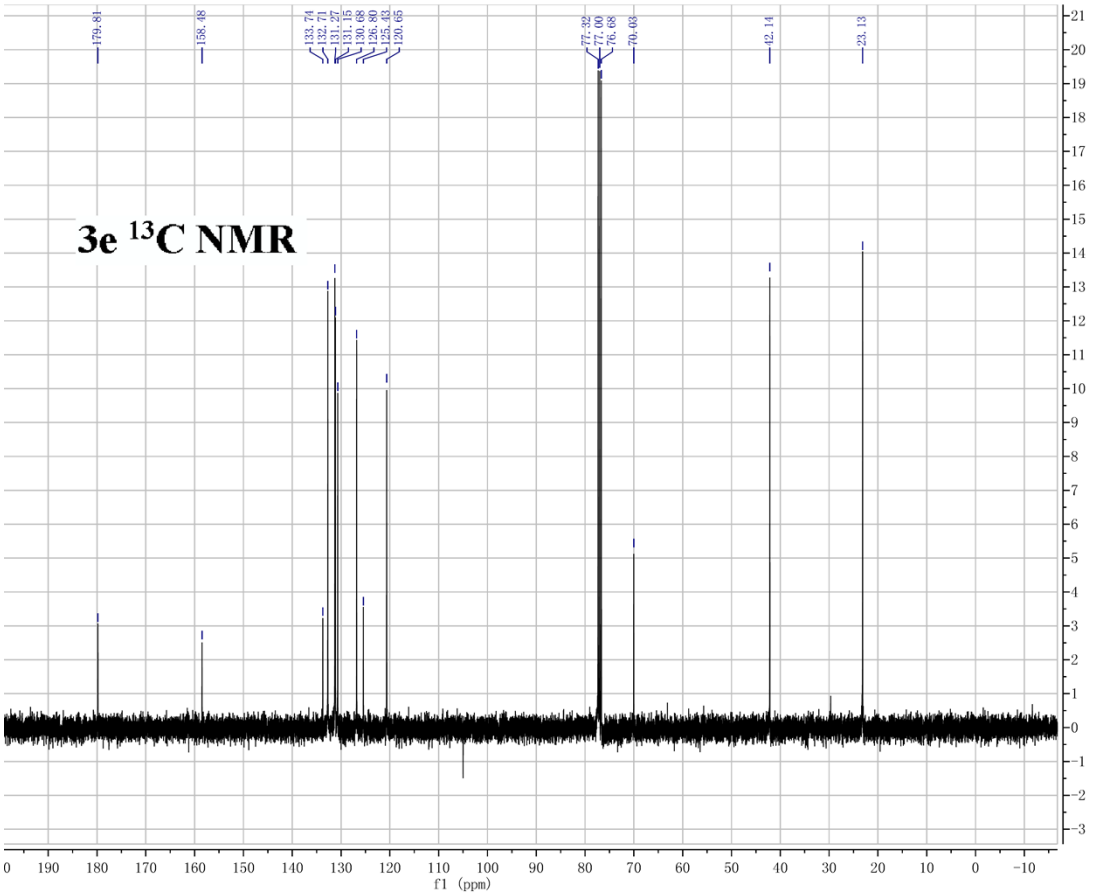
O
N
3e
f1 (ppm)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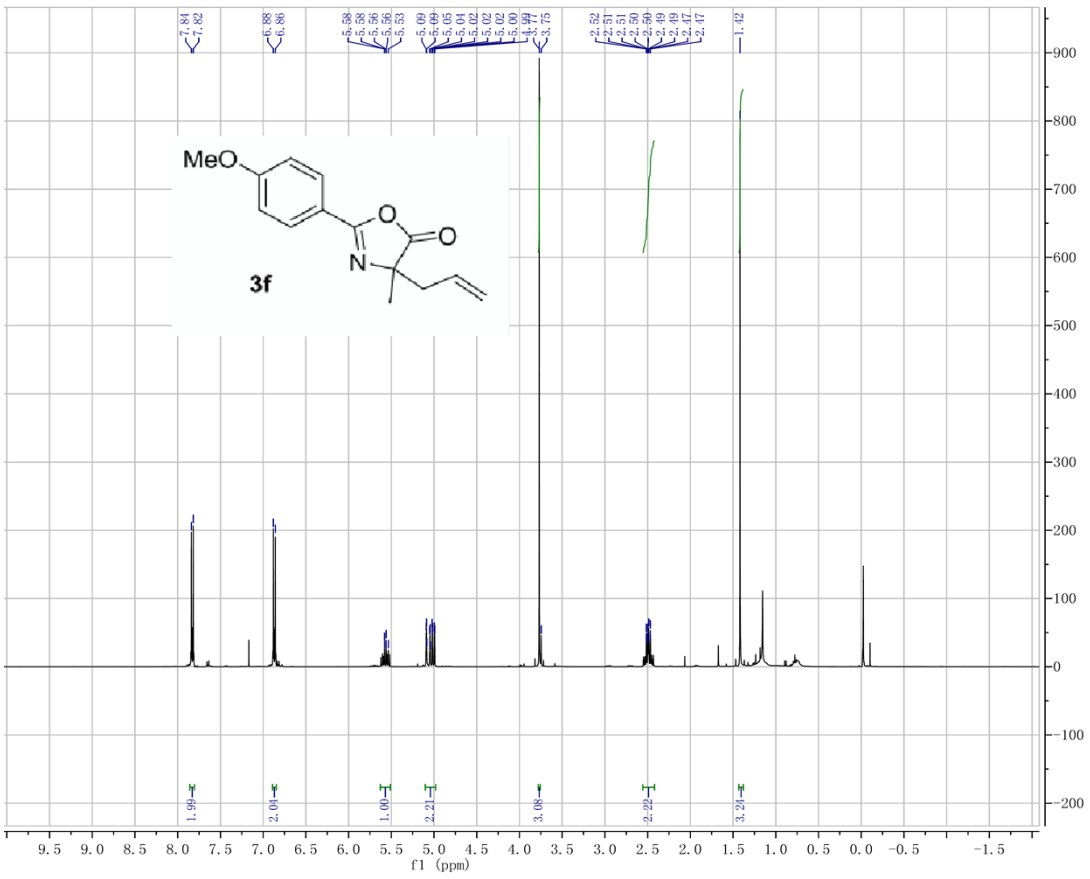
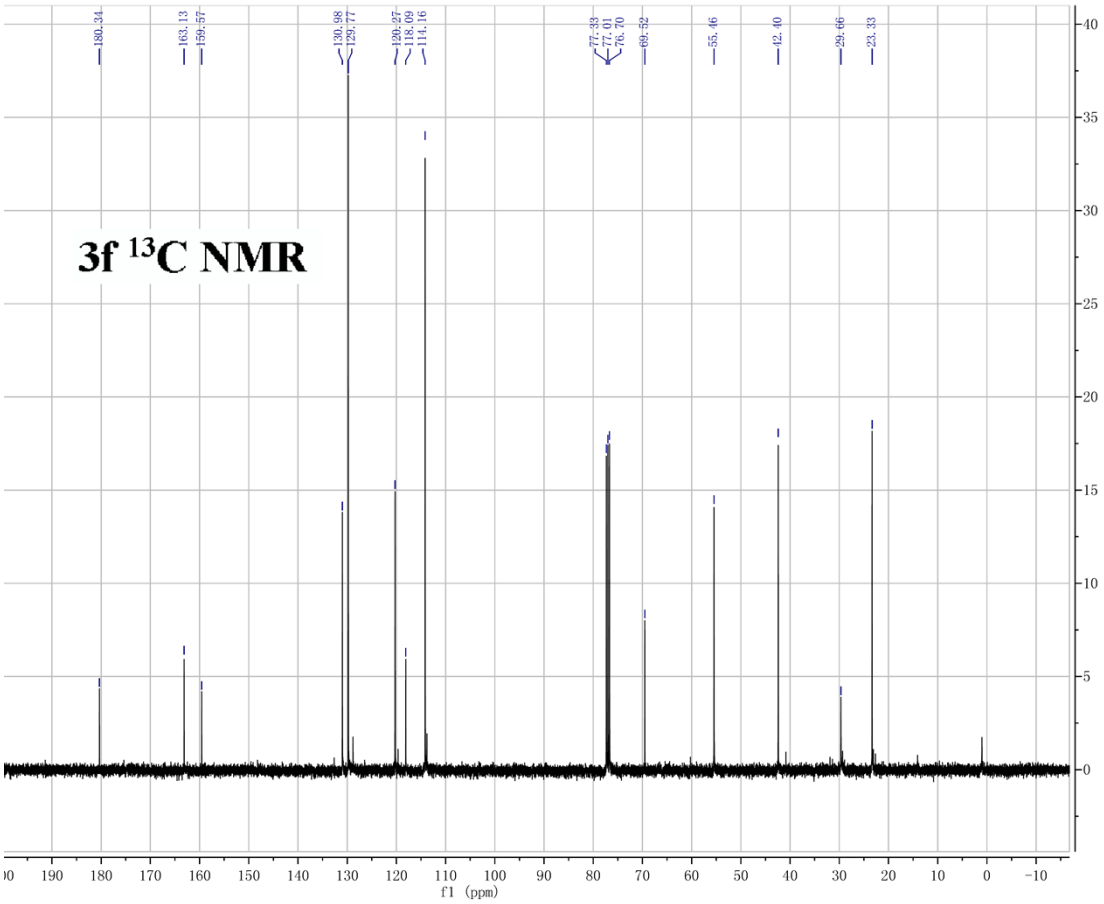

MeO
O
O
N
3f
f1 (ppm)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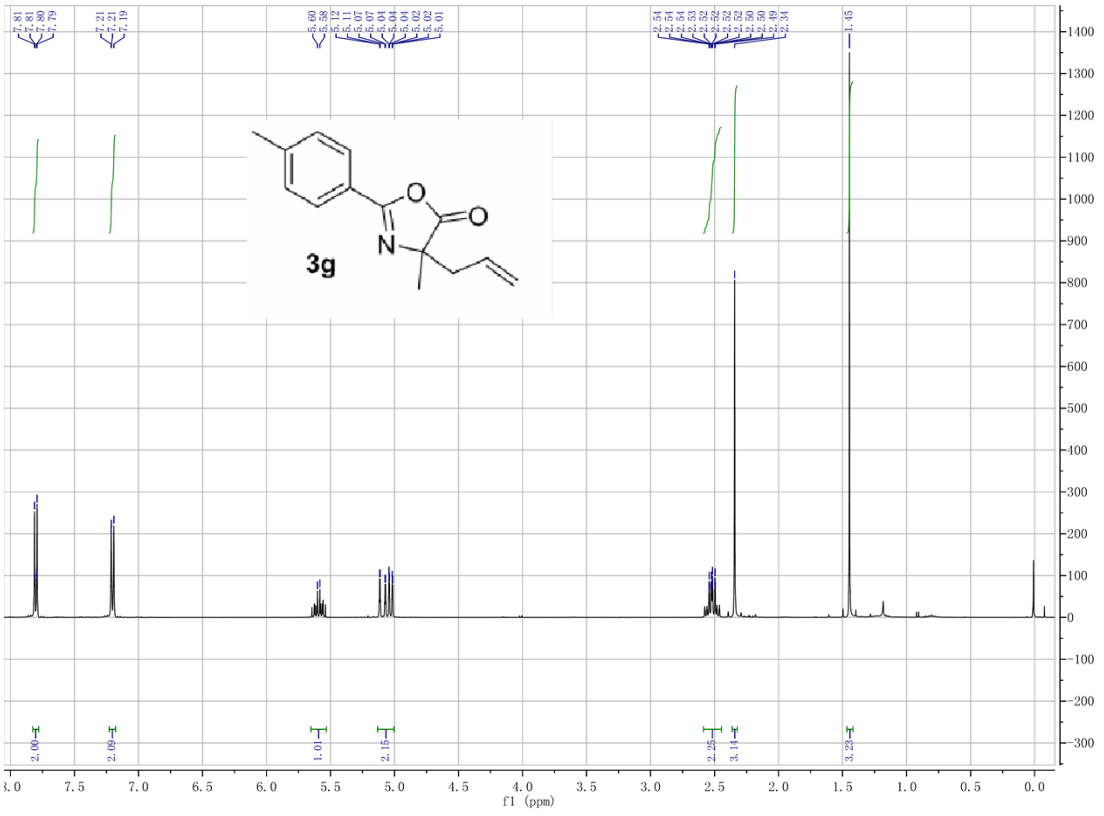
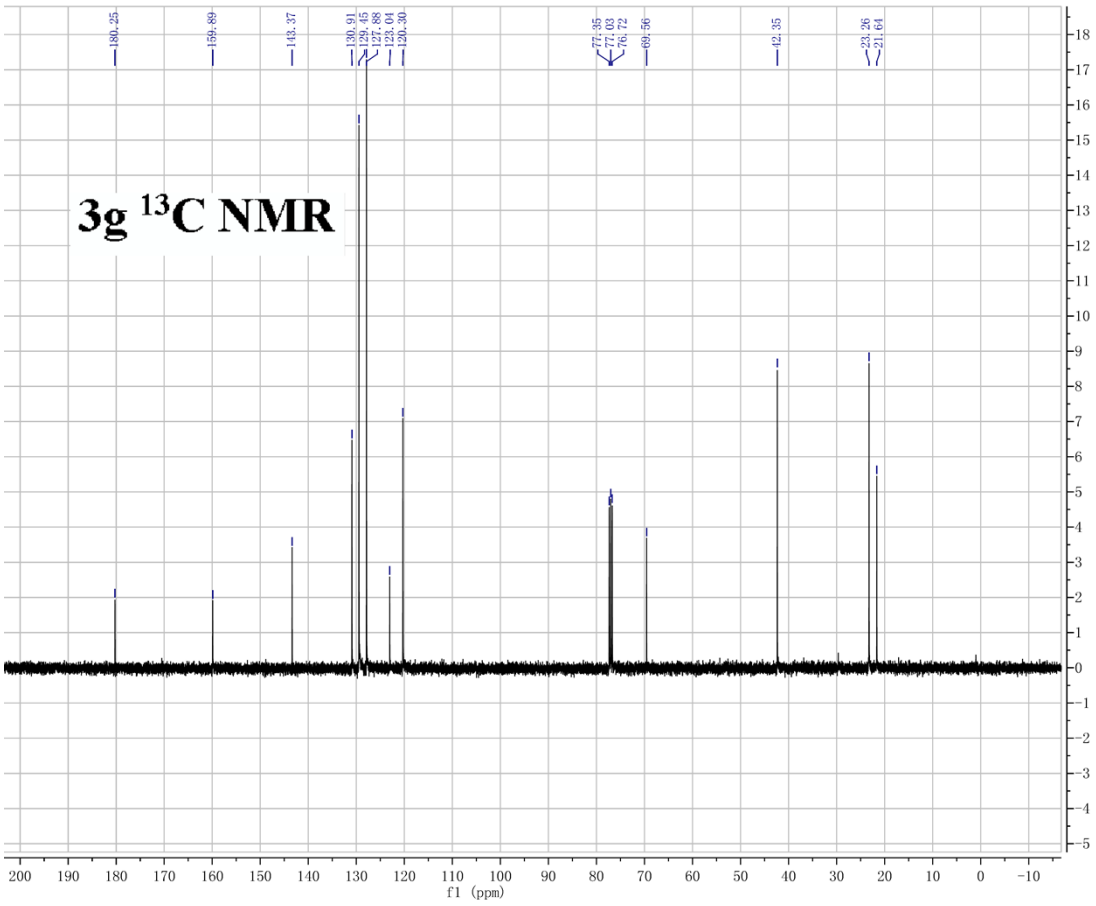
29.66
23.33
f1 (ppm)


3g
f1 (ppm)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159.89
143.37
130.91
129.45
127.88
123.04
120.30
77.35
77.03
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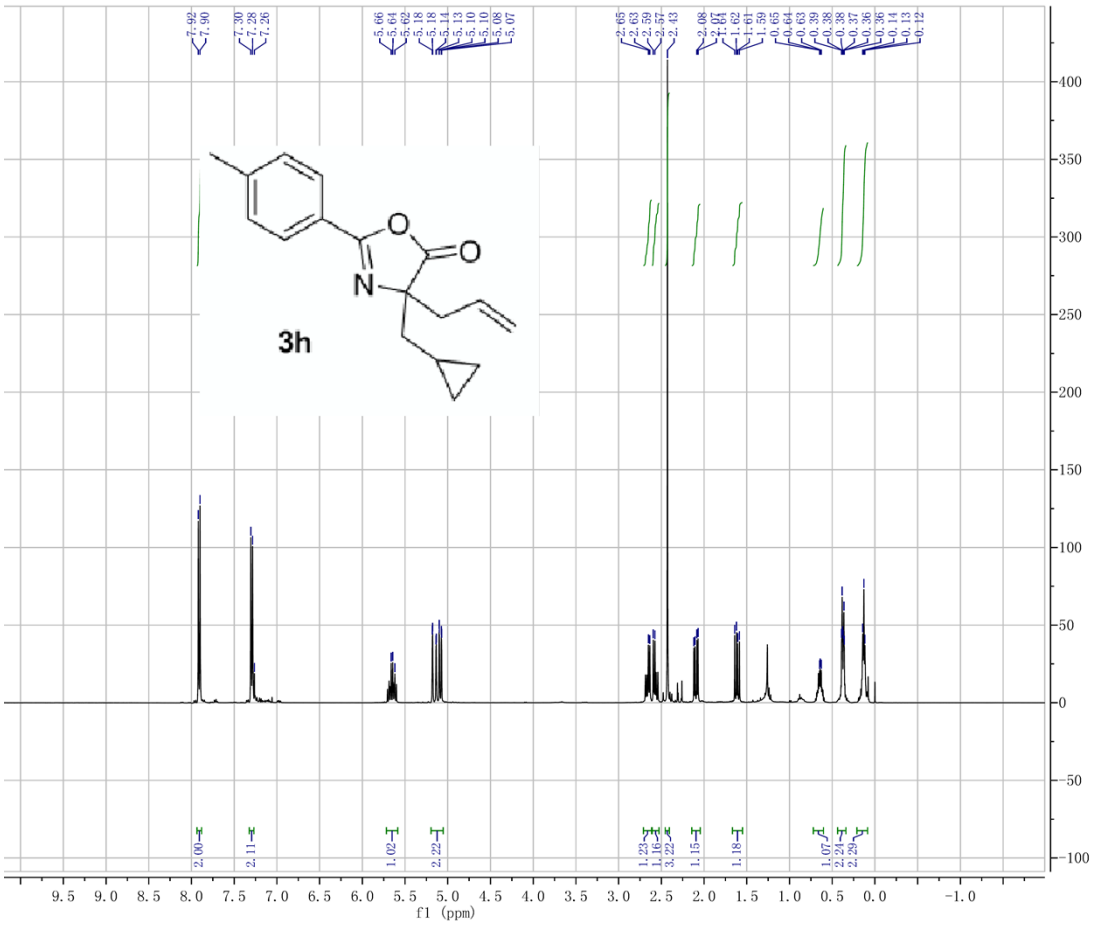
69.56
42.35
23.26
21.64
f1 (ppm)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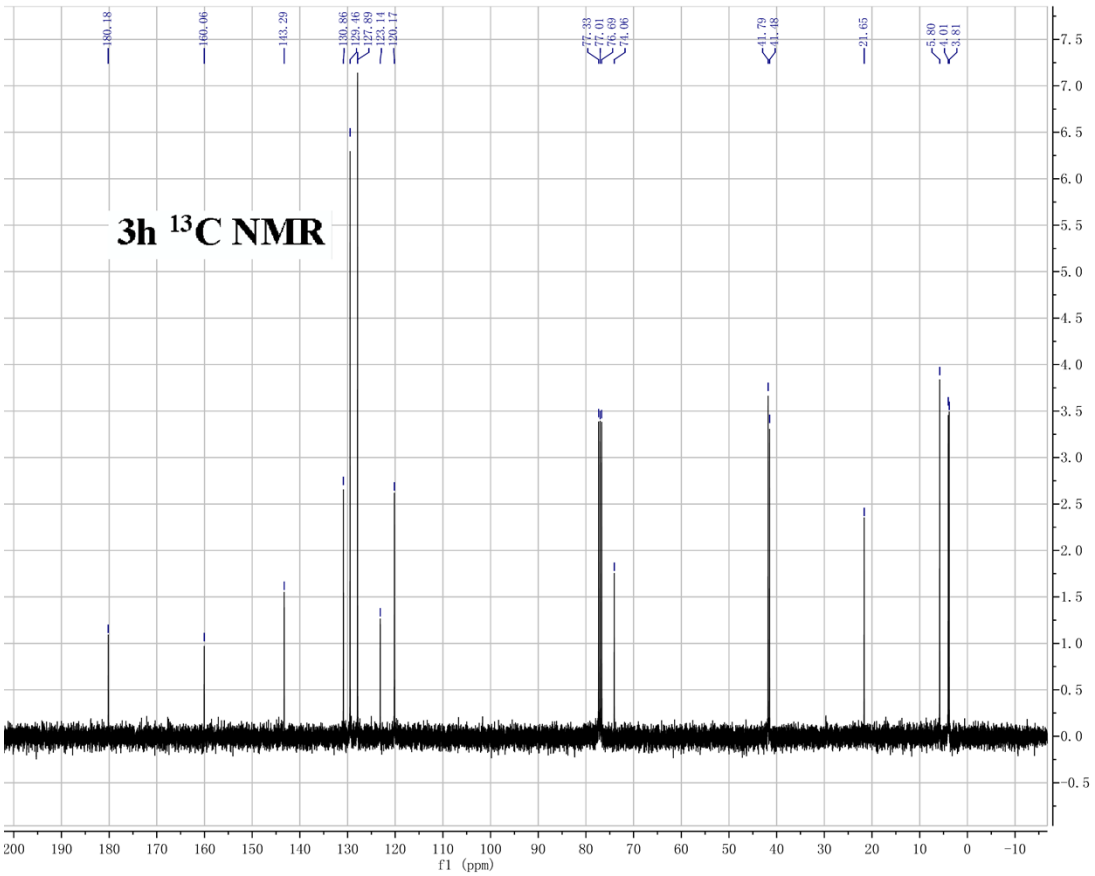
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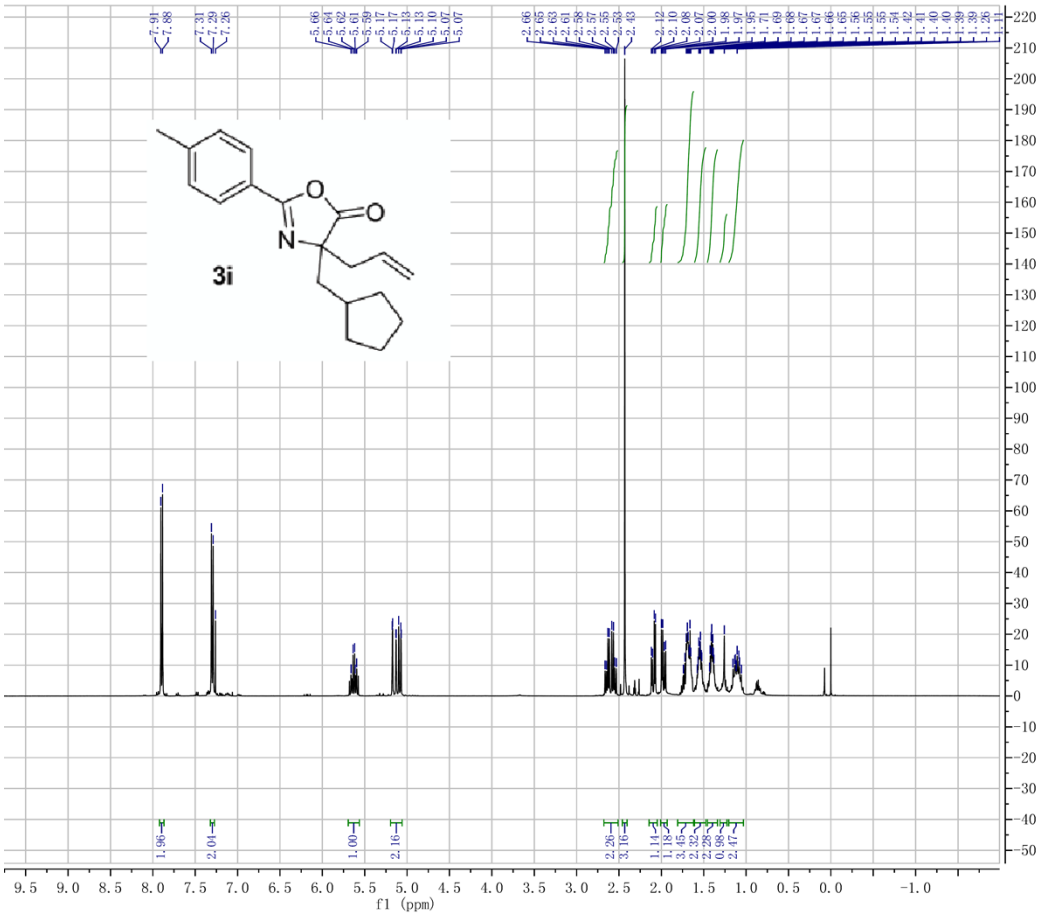
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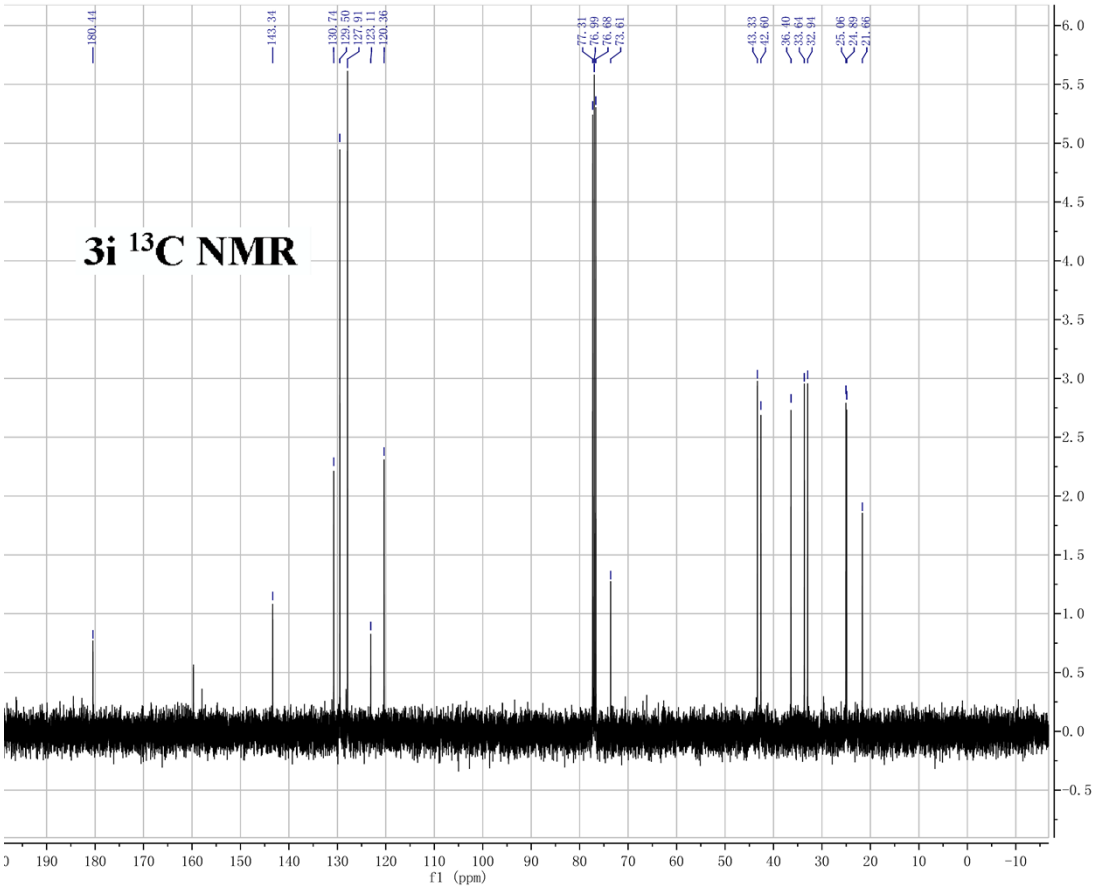
3i ^{13}C NMR
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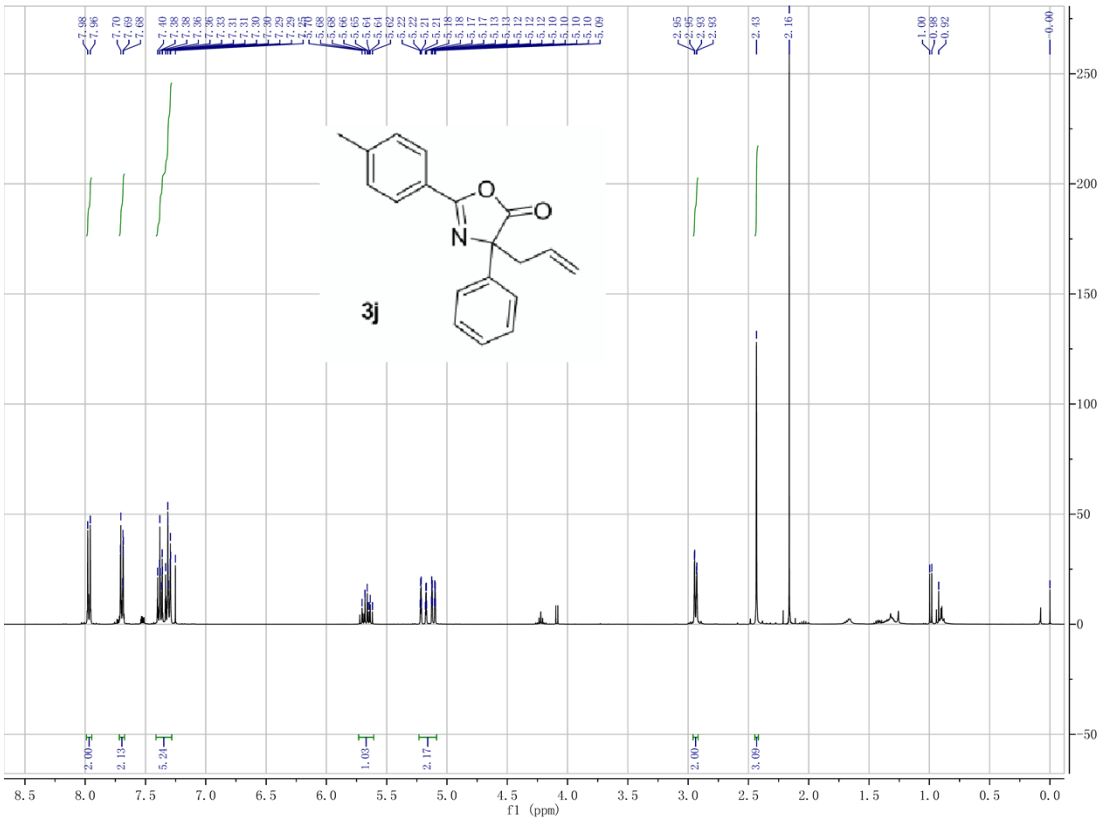
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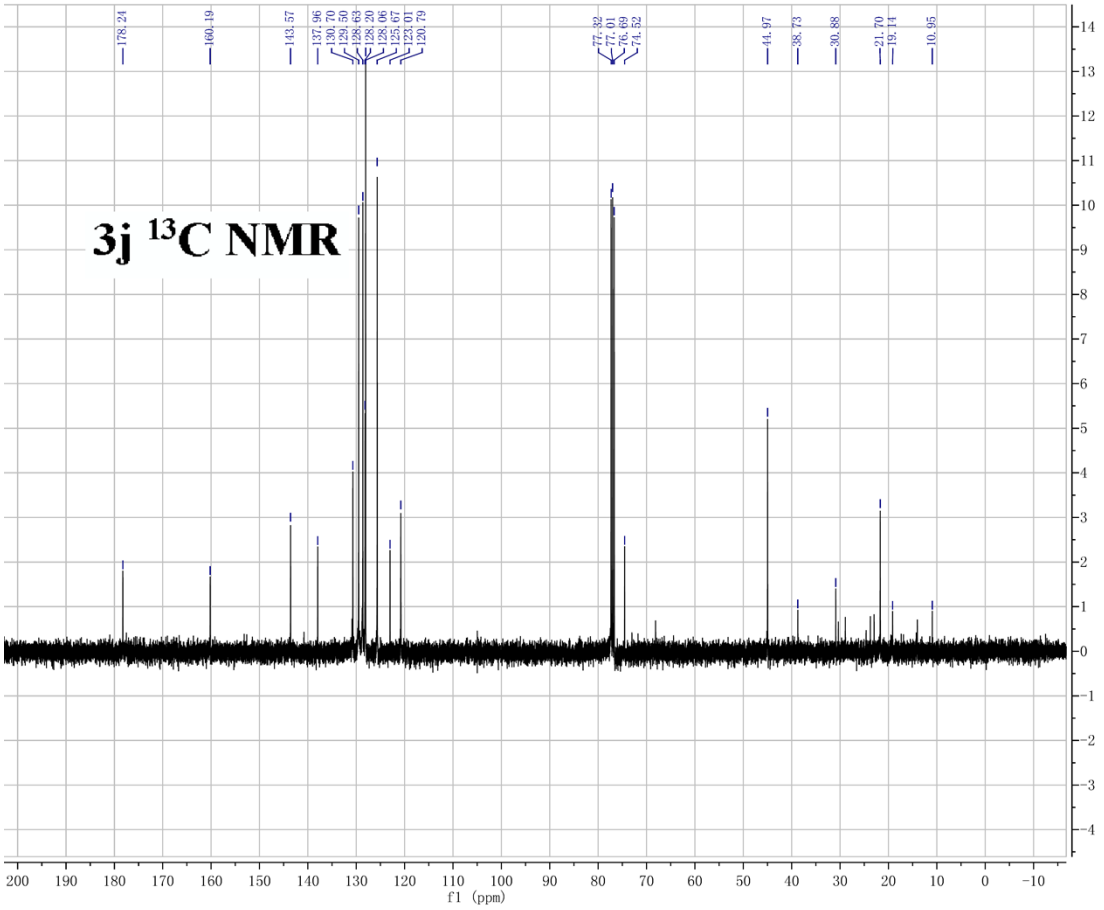
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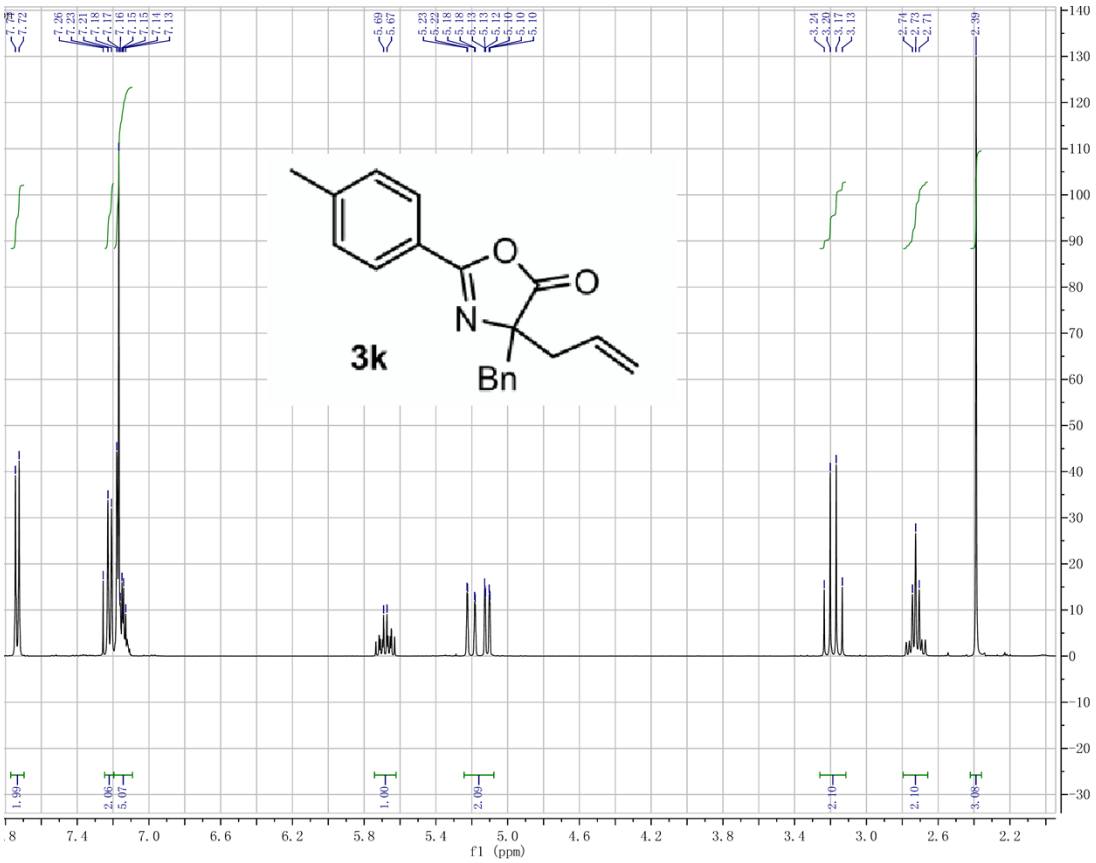
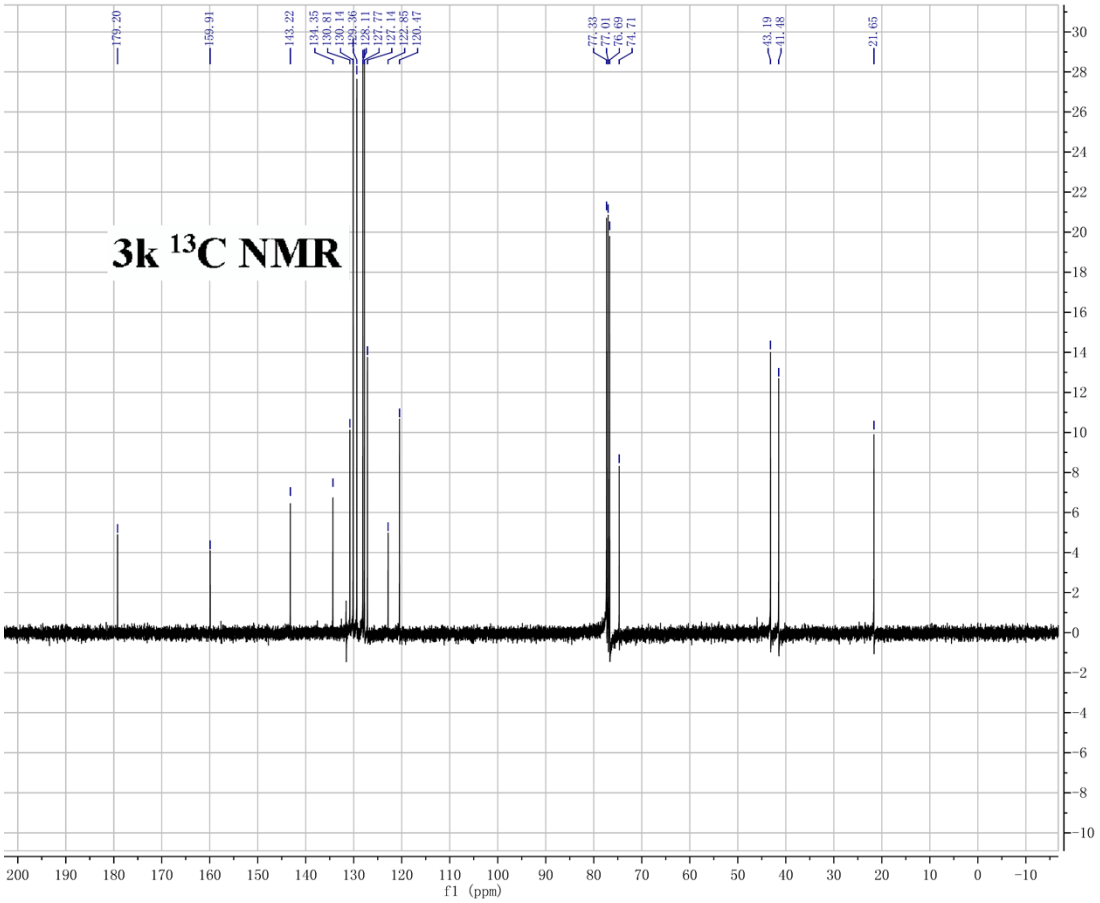
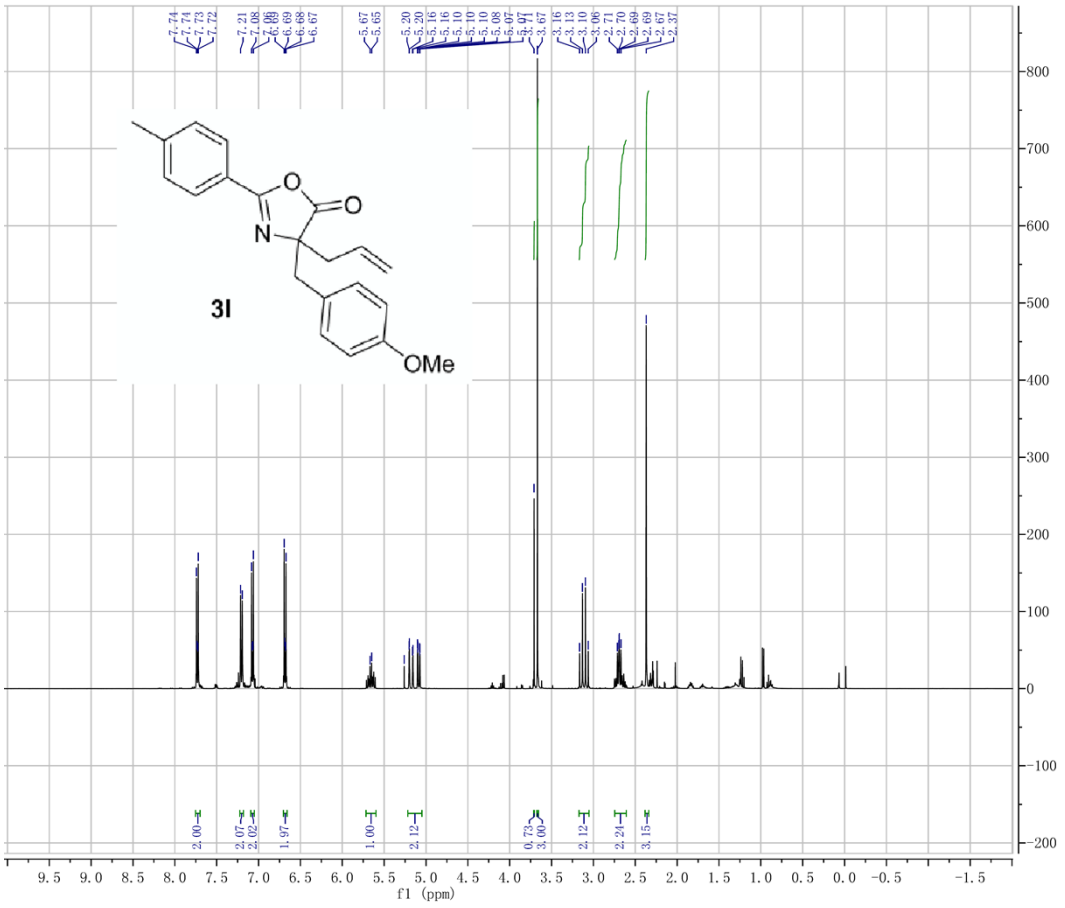
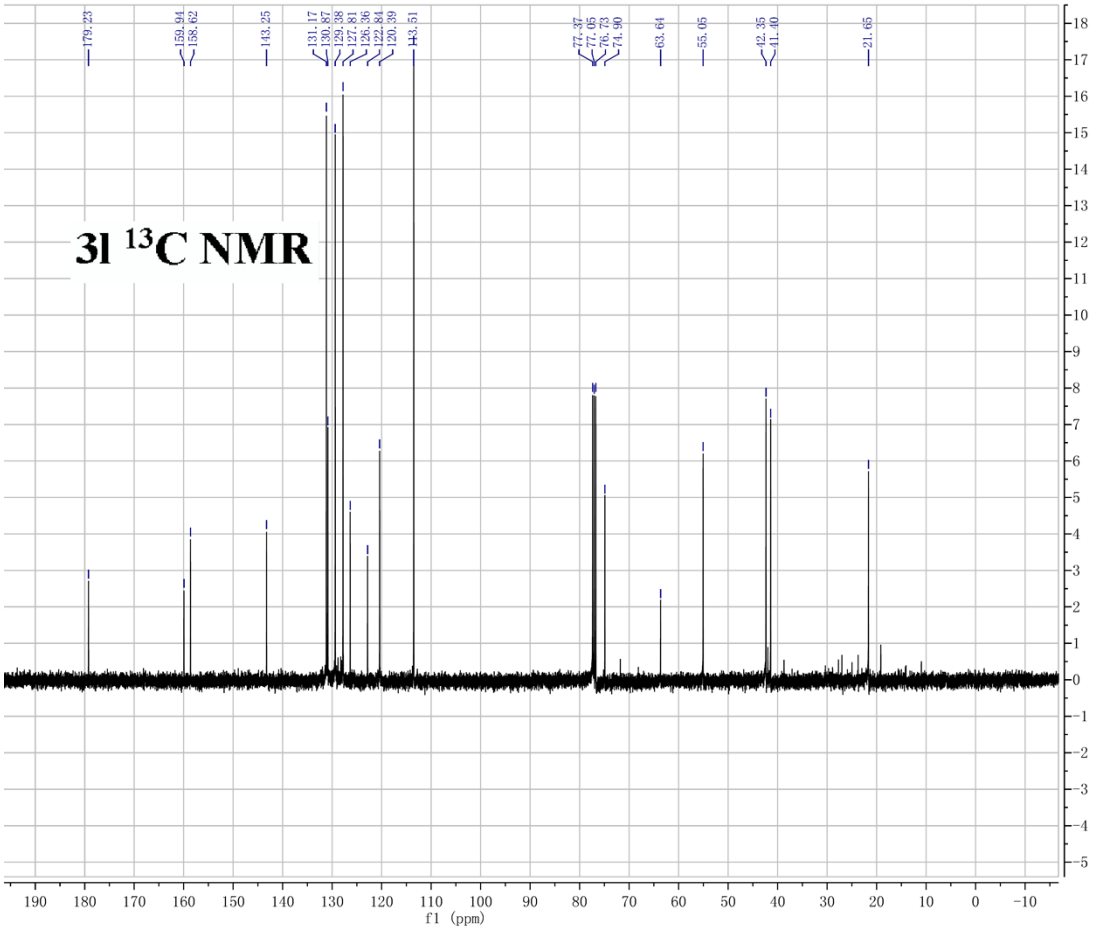
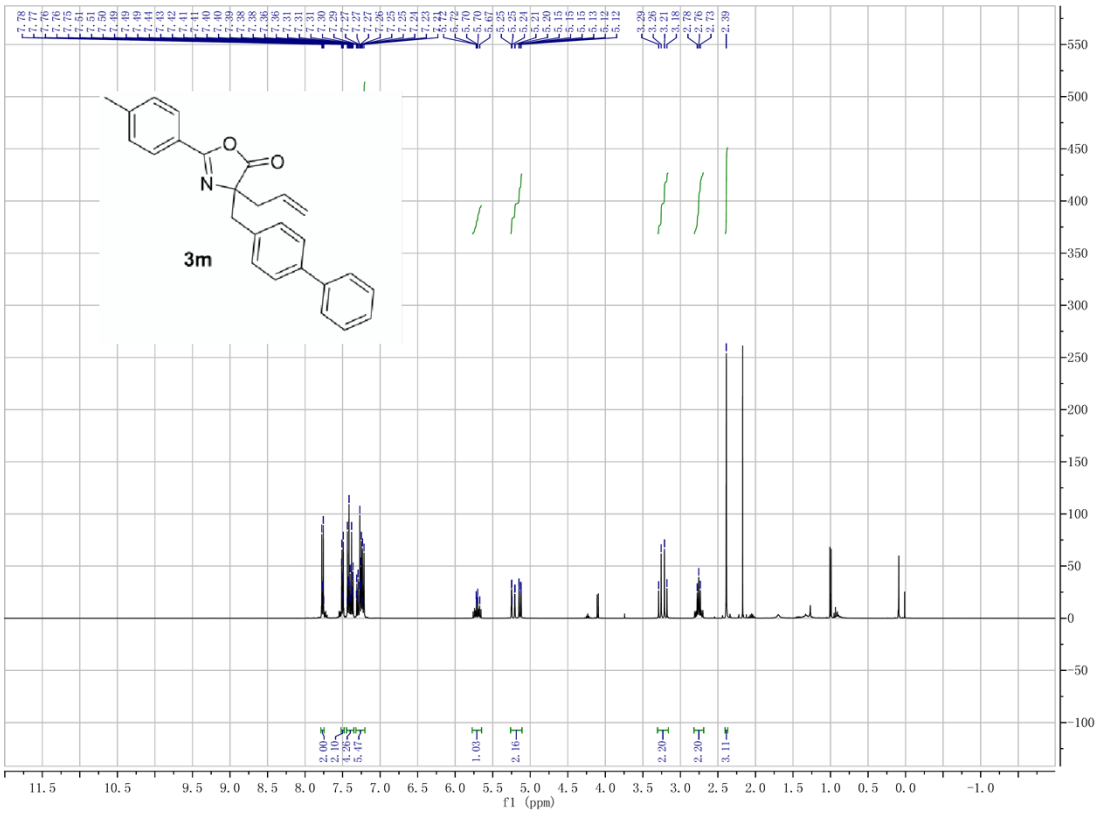
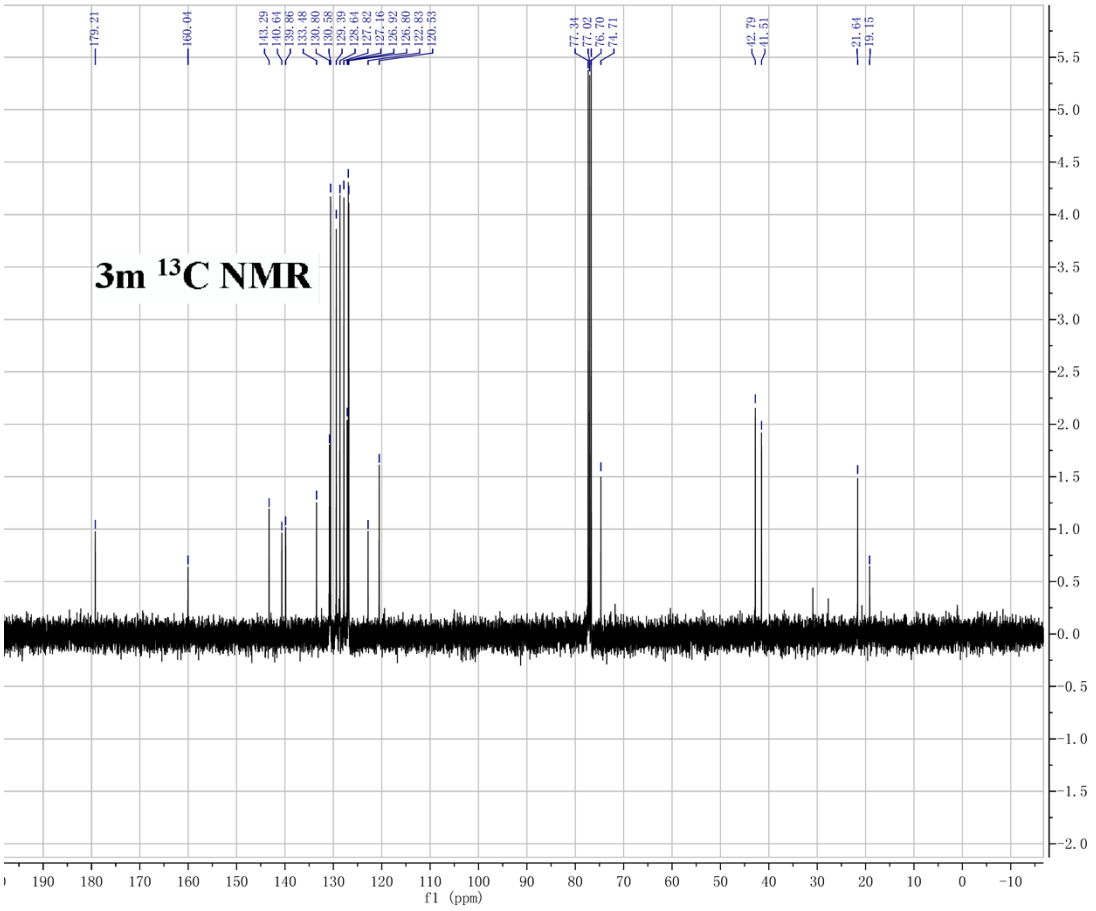

3k
Bn
f1 (ppm)

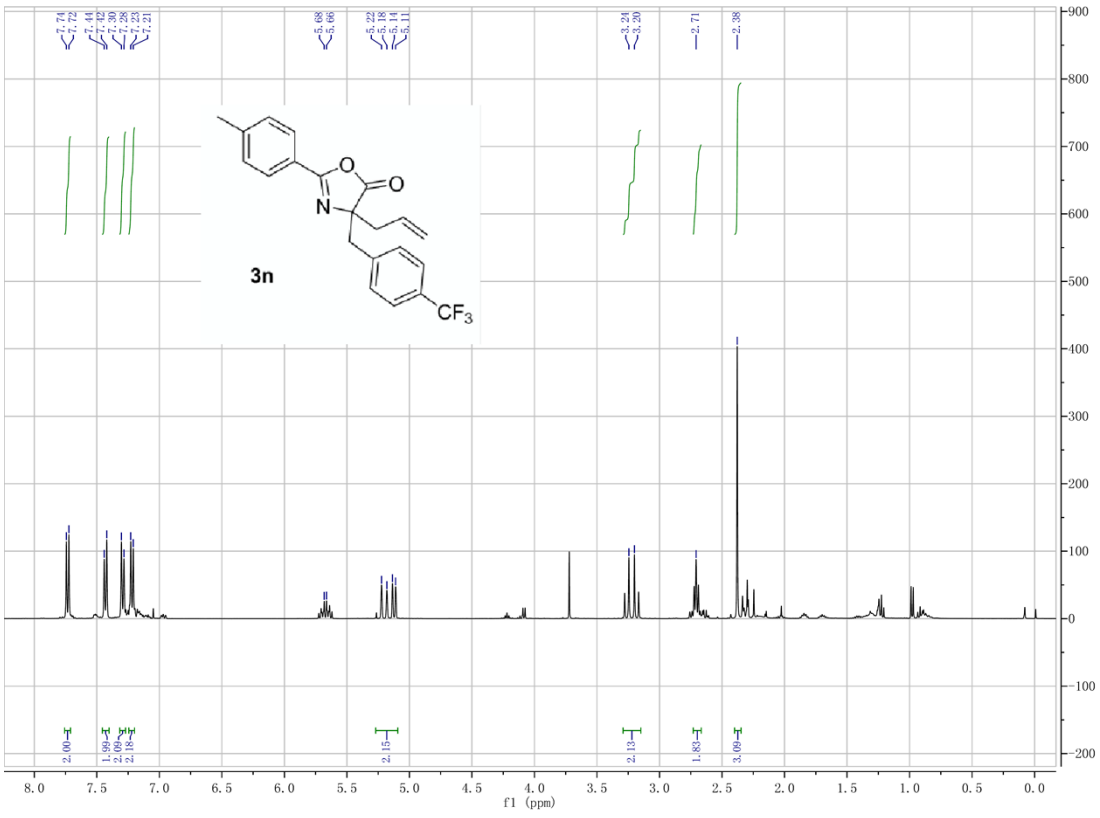

3k ^{13}C NMR
f1 (ppm)

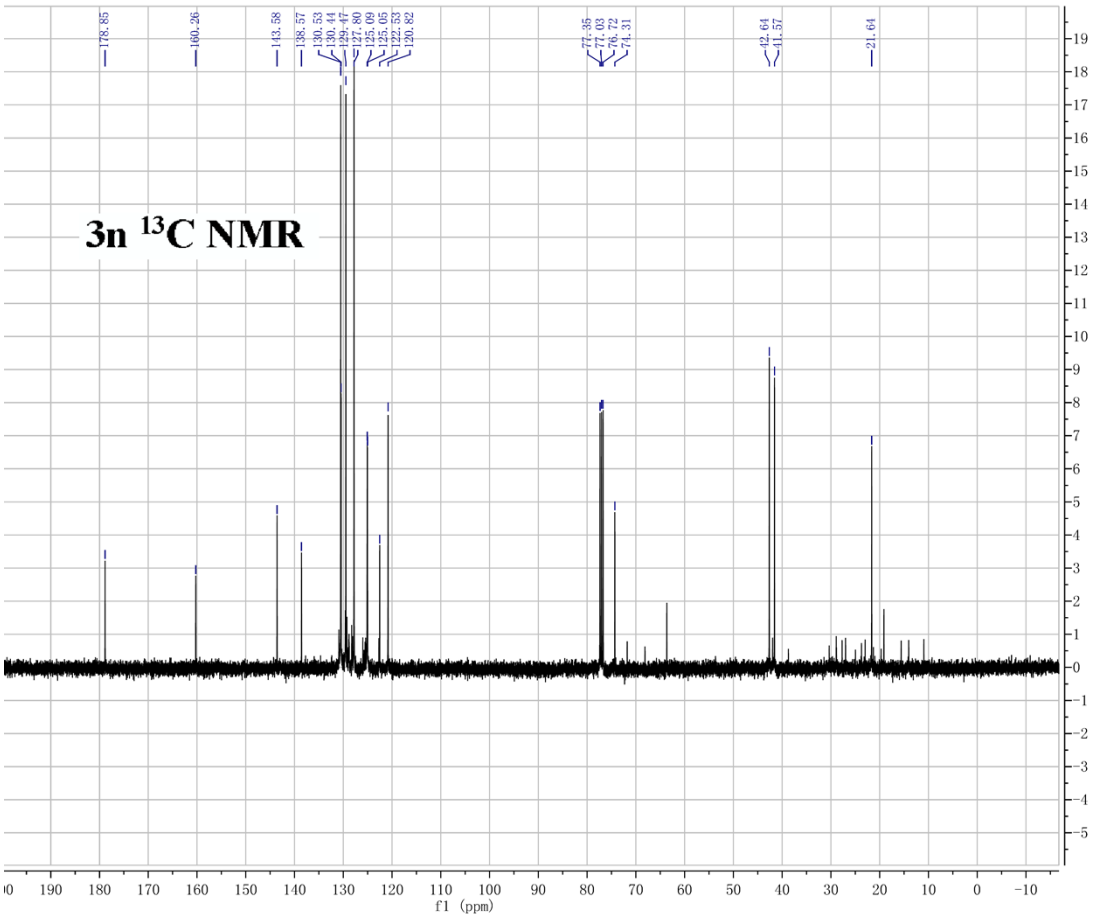

3l
OMe
f1 (ppm)

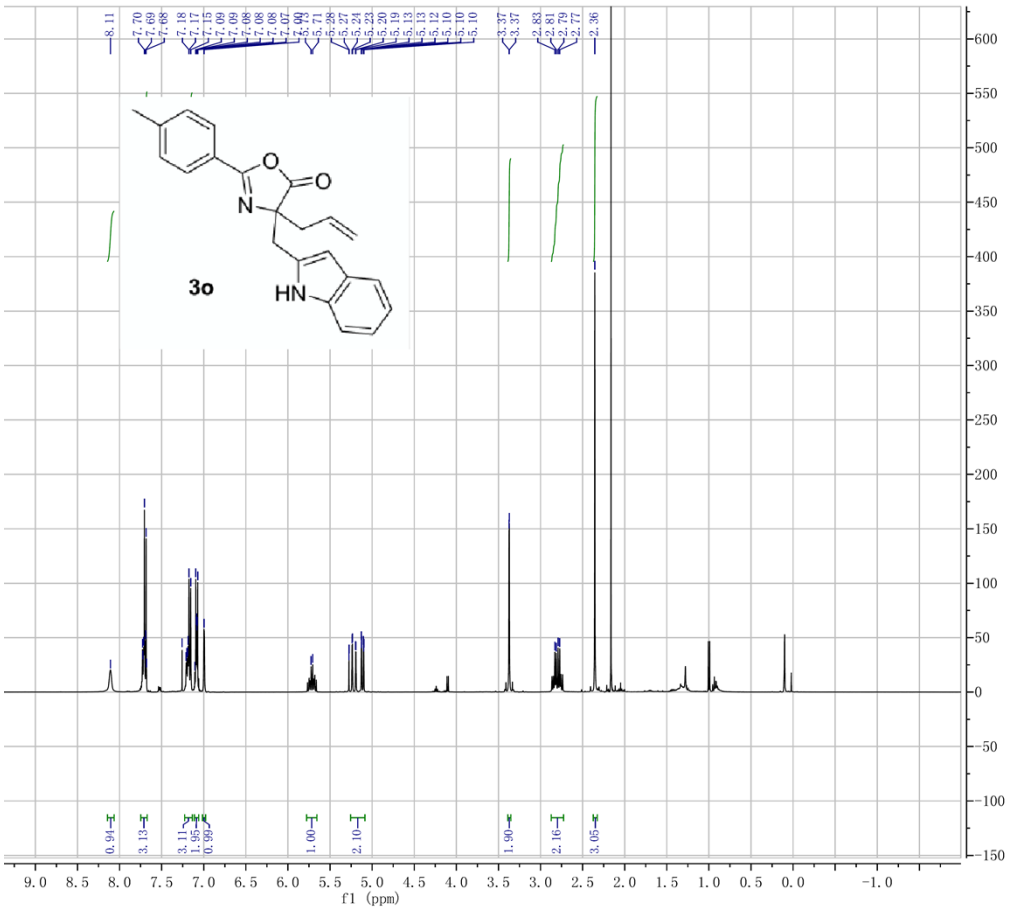

3l ^{13}C NMR
179.23
159.94
158.62
143.25
131.17
130.87
129.38
127.81
125.85
122.84
120.39
43.51
77.37
77.05
76.73
74.90
63.64
55.05
42.35
41.40
21.65
f1 (ppm)

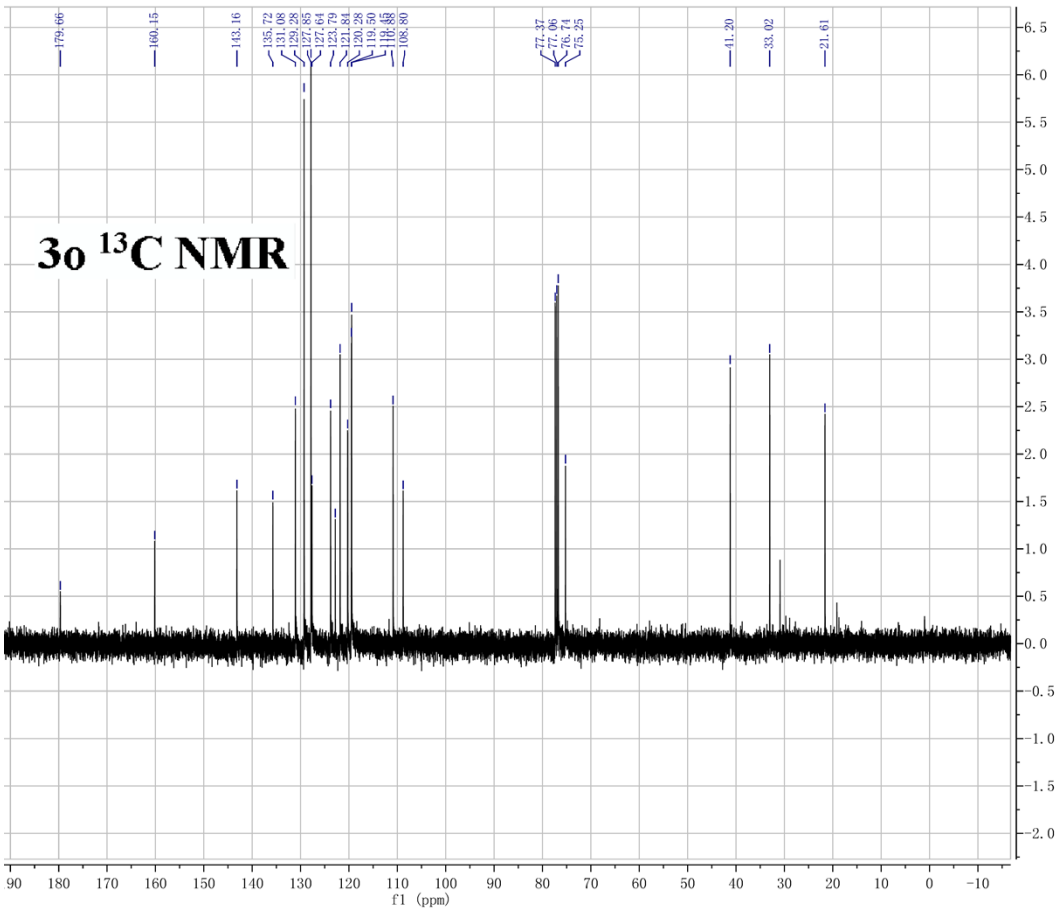

3m
f1 (ppm)

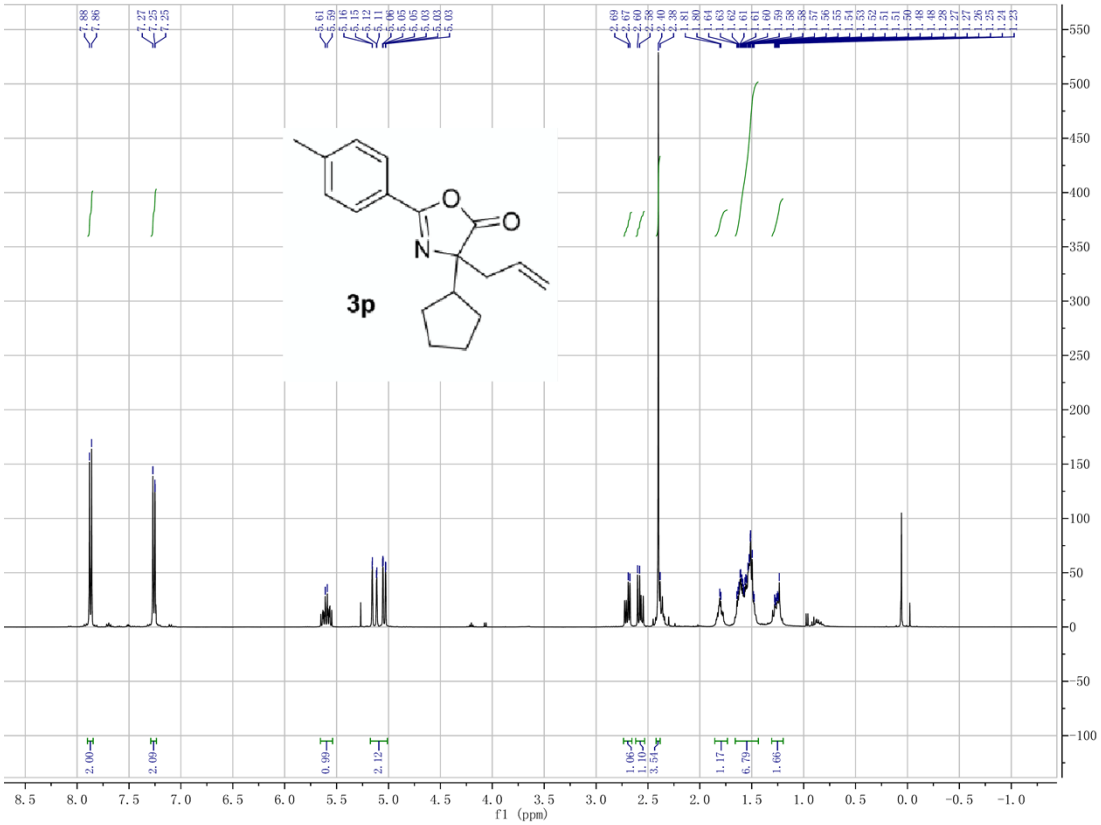

3m ^{13}C NMR
179.21
160.04
143.29
140.64
139.86
133.48
130.80
130.58
129.39
128.64
127.82
127.46
126.92
126.80
122.83
120.53
77.34
77.02
76.70
74.71
42.79
41.51
21.64
19.15
f1 (ppm)

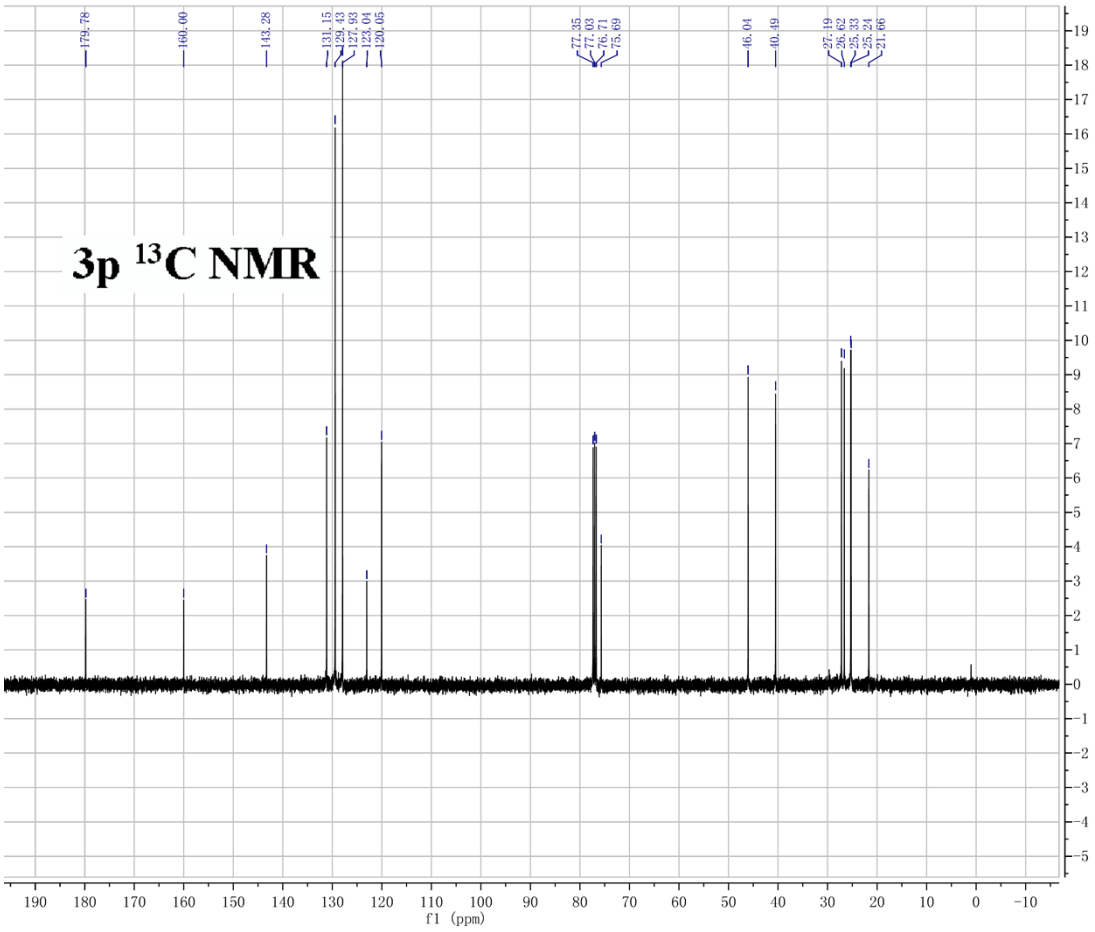

7.74
7.72
7.44
7.42
7.30
7.28
7.23
7.21
5.68
5.66
5.22
5.18
5.14
5.11
3.24
3.20
2.71
2.38
3n
O
O
N
CF3
2.00
1.99
2.09
2.18
2.15
2.13
1.83
3.09
f1 (ppm)

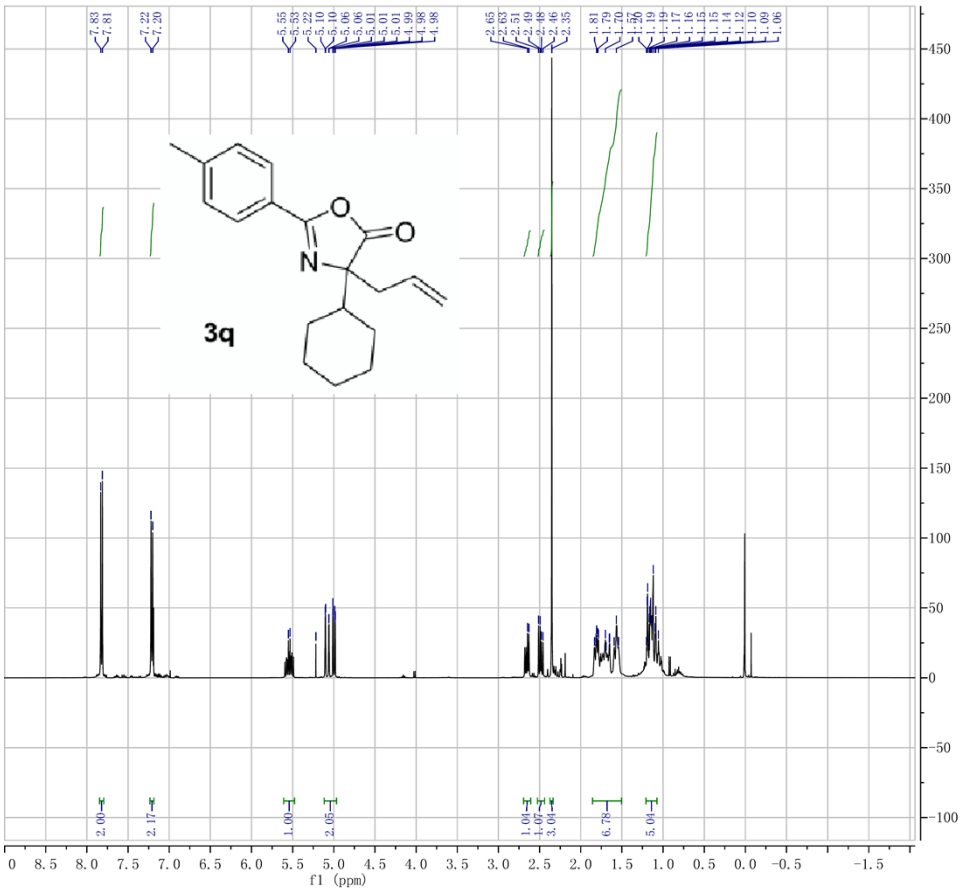

3n ^{13}C NMR
178.85
160.26
143.58
138.57
130.53
130.44
129.47
127.80
125.09
125.05
122.53
120.82
77.35
77.03
76.72
74.31
42.64
41.57
21.64
f1 (ppm)

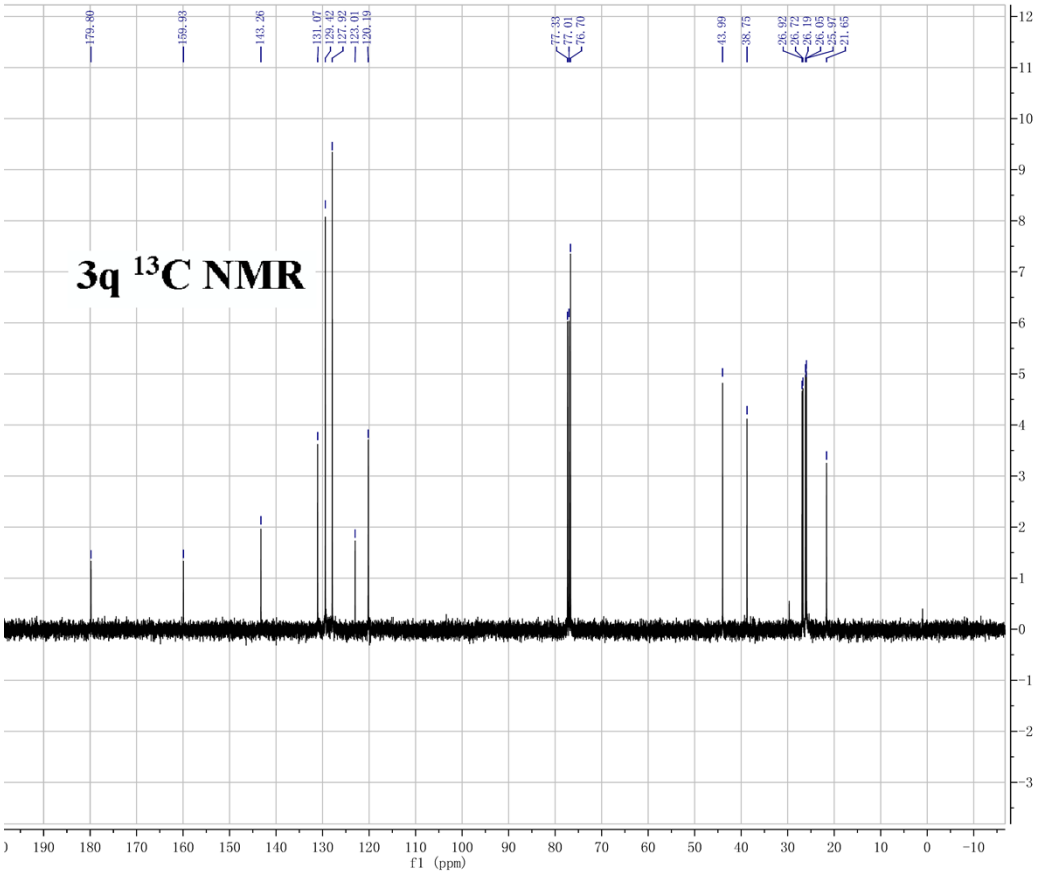

3o
HN
f1 (ppm)

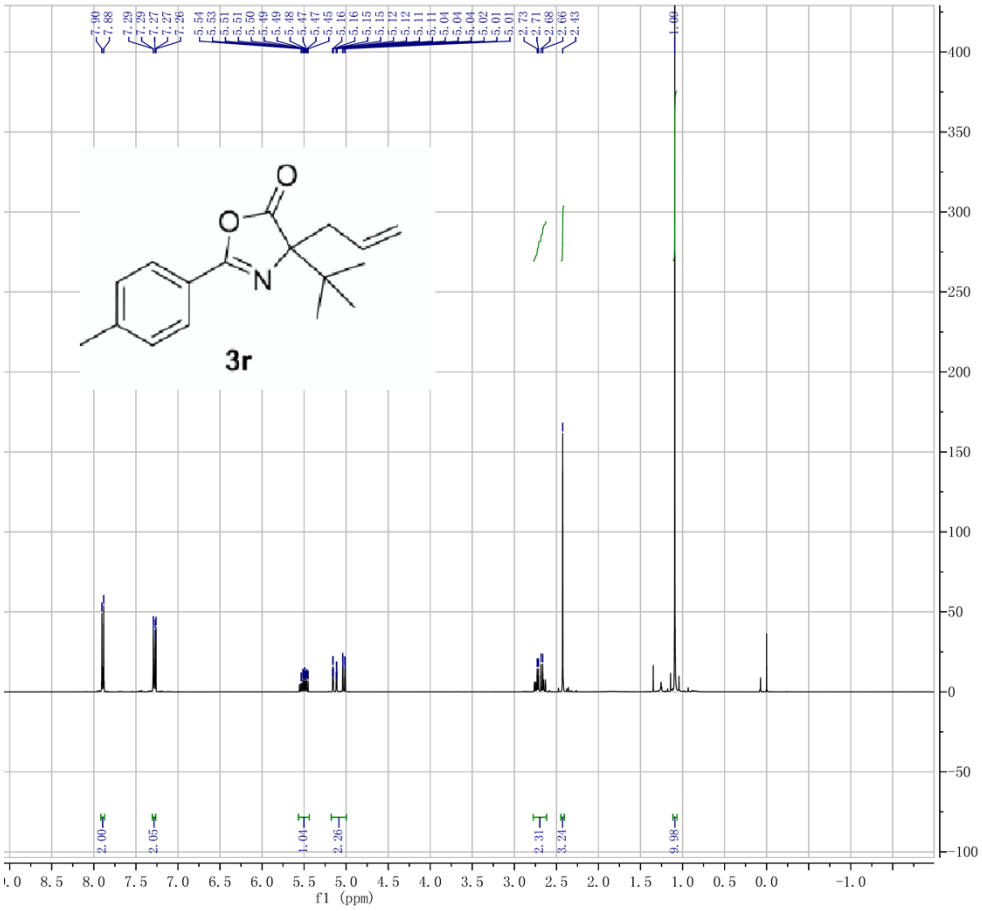

3o ^{13}C NMR
179.66
160.15
143.16
135.72
131.08
129.28
127.85
127.64
123.79
121.84
120.28
119.50
77.37
77.06
76.74
75.25
41.20
33.02
21.61
f1 (ppm)

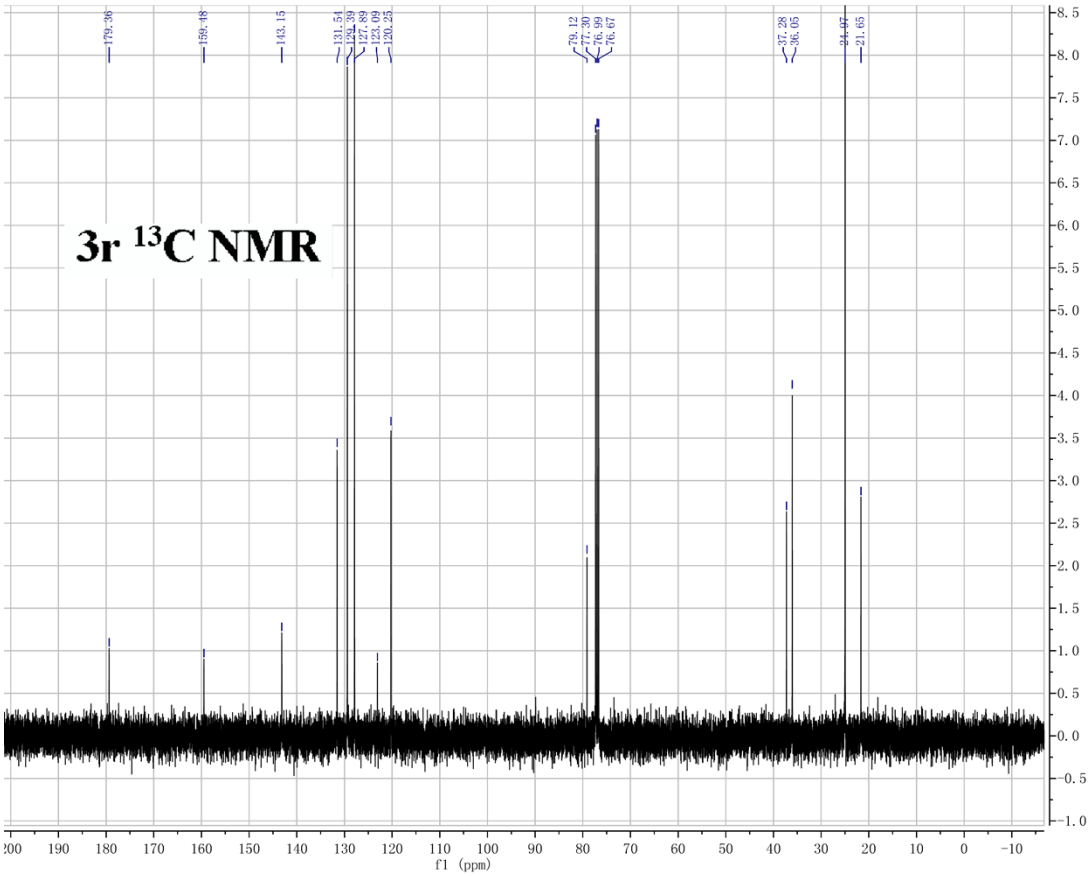

3p
f1 (ppm)

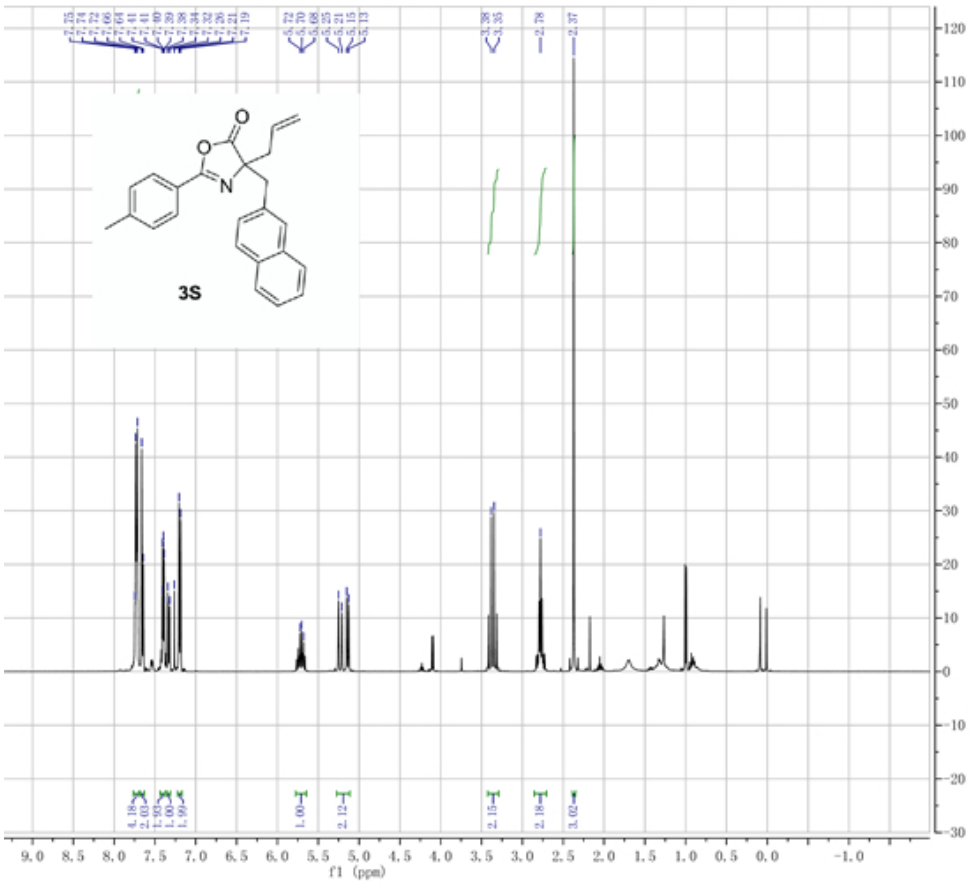

3p ^{13}C NMR
179.78
160.00
143.28
131.15
129.43
127.93
122.04
120.65
77.25
77.03
76.71
75.69
46.04
40.49
27.19
26.62
25.33
25.24
21.66
f1 (ppm)

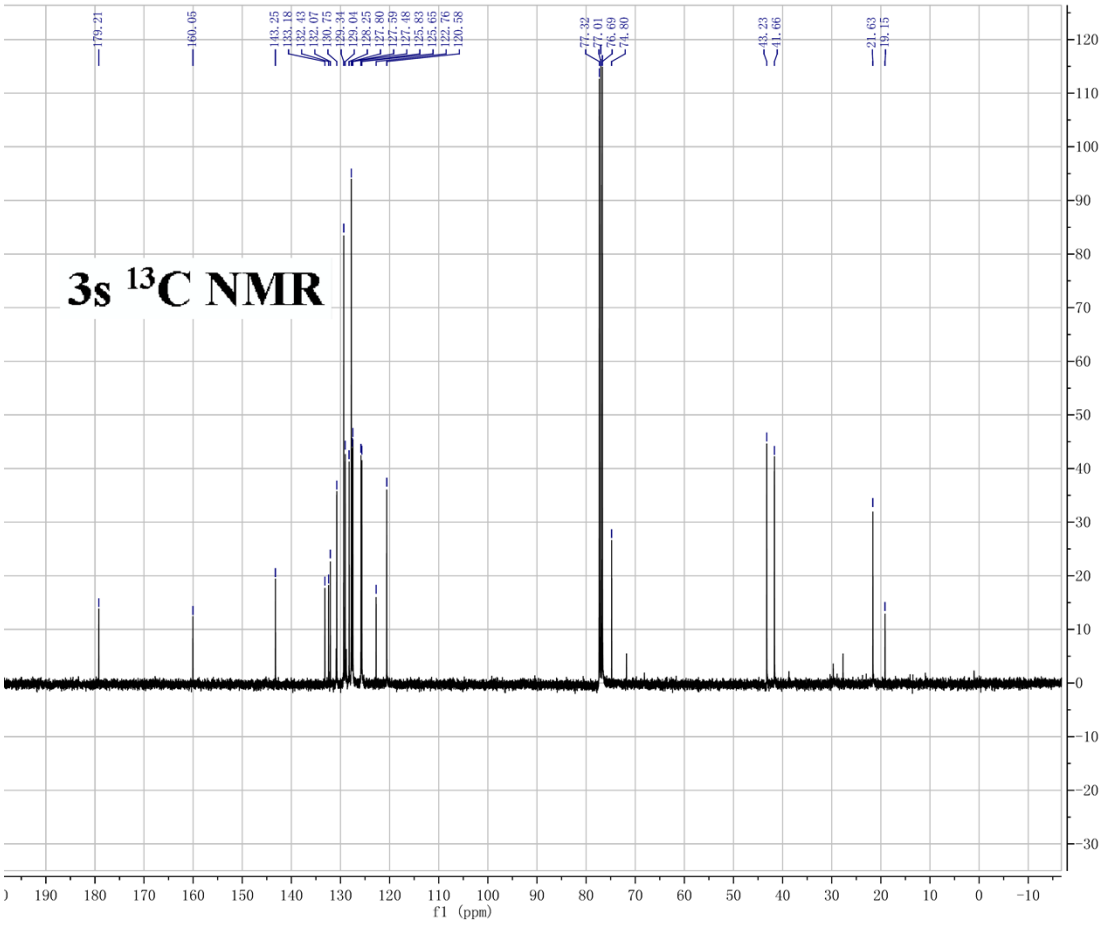

3q
f1 (ppm)

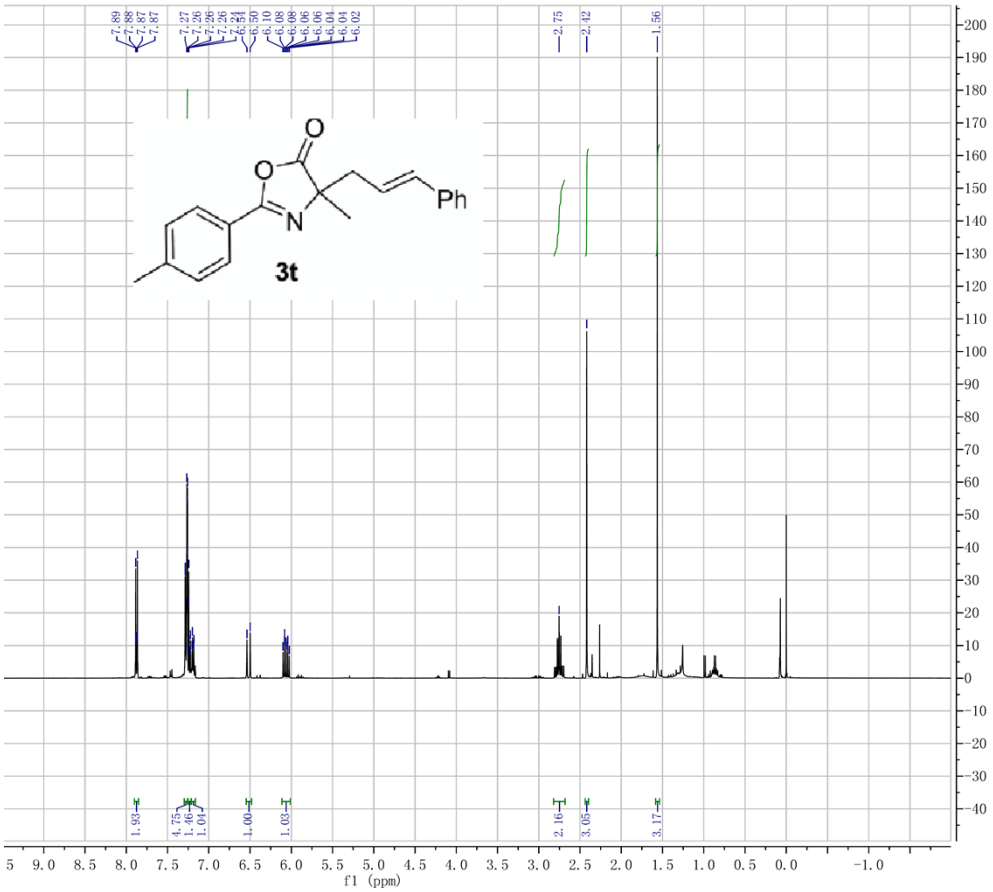

3q ^{13}C NMR
f1 (ppm)

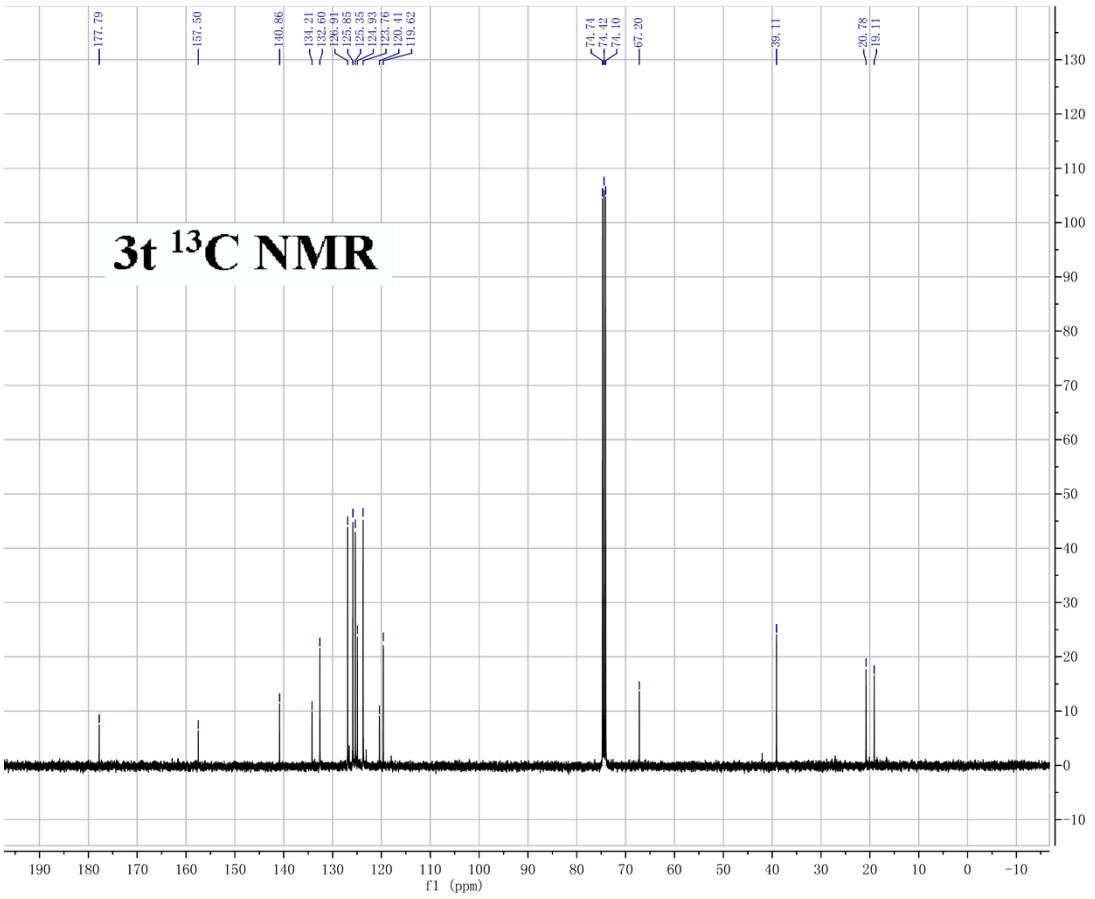

3r
f1 (ppm)

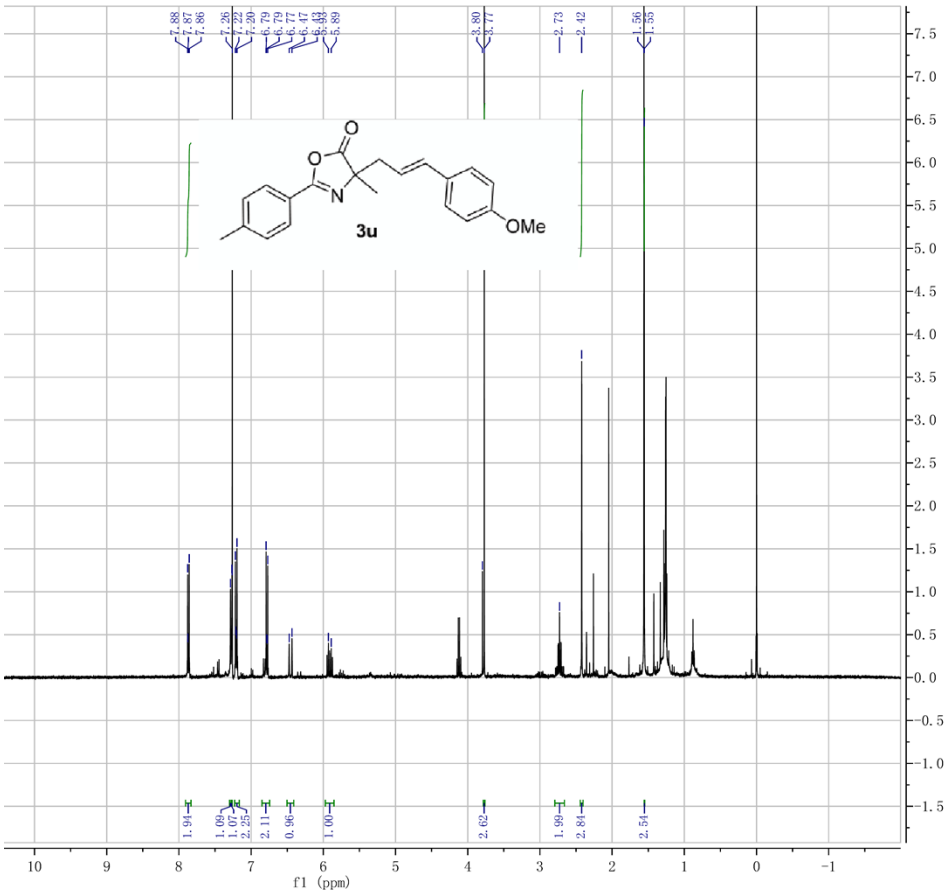

3r ^{13}C NMR
f1 (ppm)

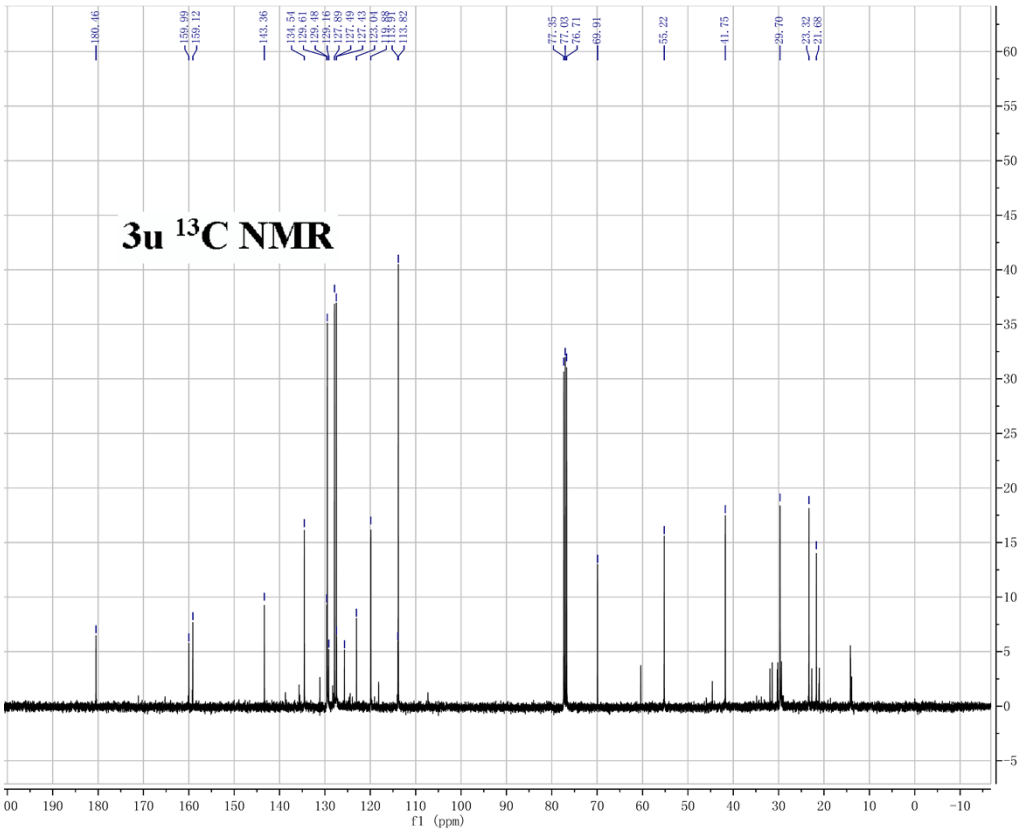

3S
f1 (ppm)

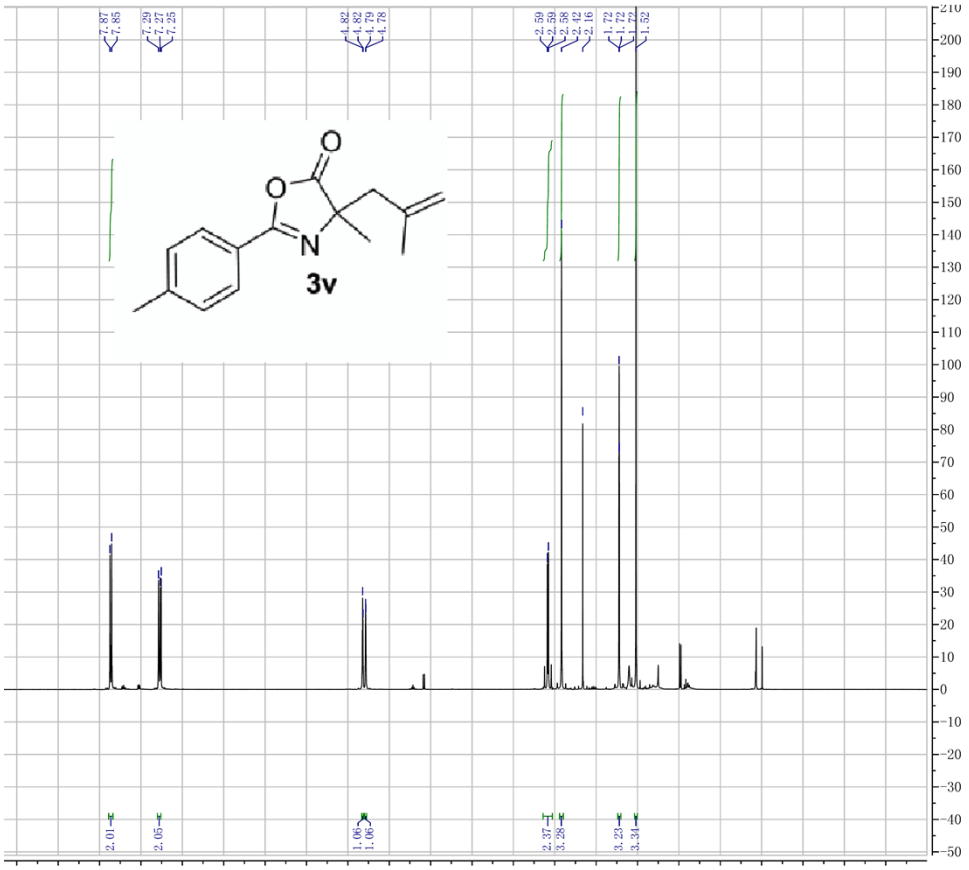

3s ^{13}C NMR
179.21
160.05
143.25
133.18
132.43
132.07
130.75
129.34
129.04
128.25
127.60
127.59
127.48
125.83
125.65
122.76
120.58
77.32
77.01
76.69
74.80
43.23
41.66
21.63
19.15
f1 (ppm)

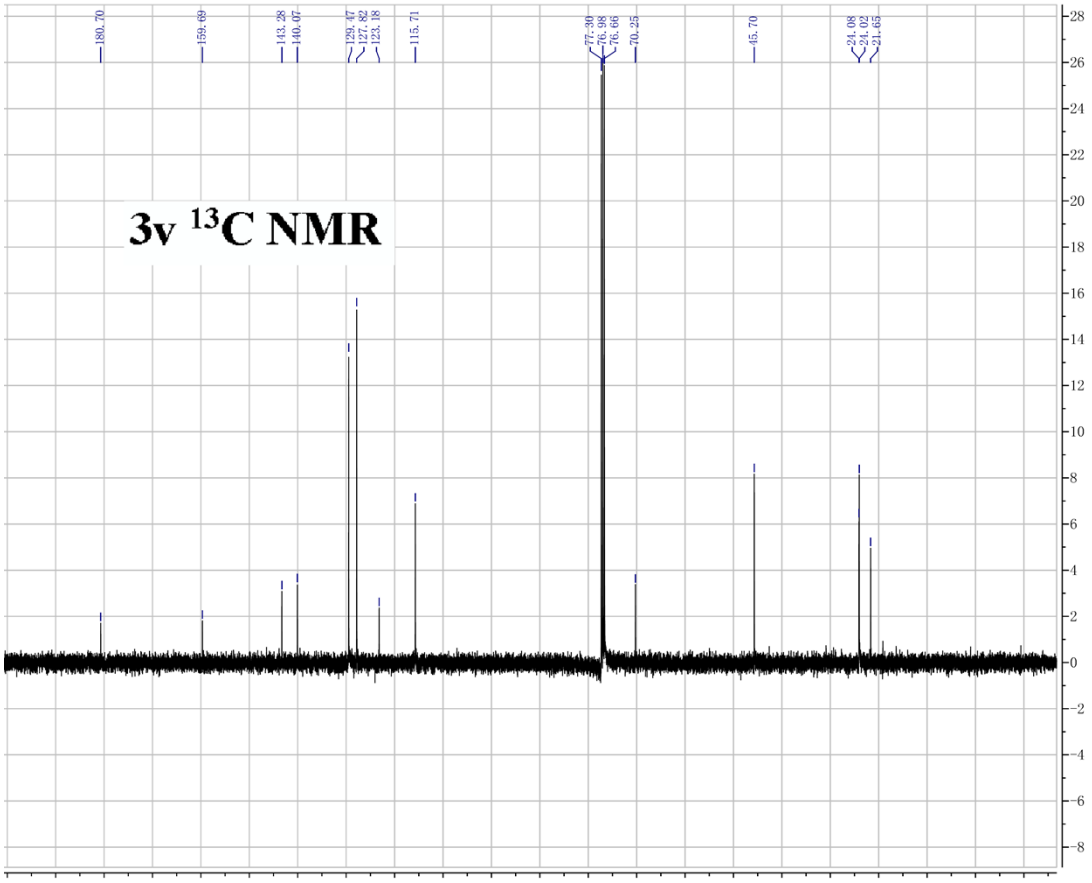

7.89
7.88
7.87
7.87
7.27
7.26
7.26
7.26
7.24
6.50
6.10
6.08
6.08
6.06
6.06
6.04
6.04
6.02
2.75
2.42
1.56
O
O
N
Ph
3t
1.93
4.75
1.46
1.04
1.00
1.03
2.16
3.05
3.17
f1 (ppm)

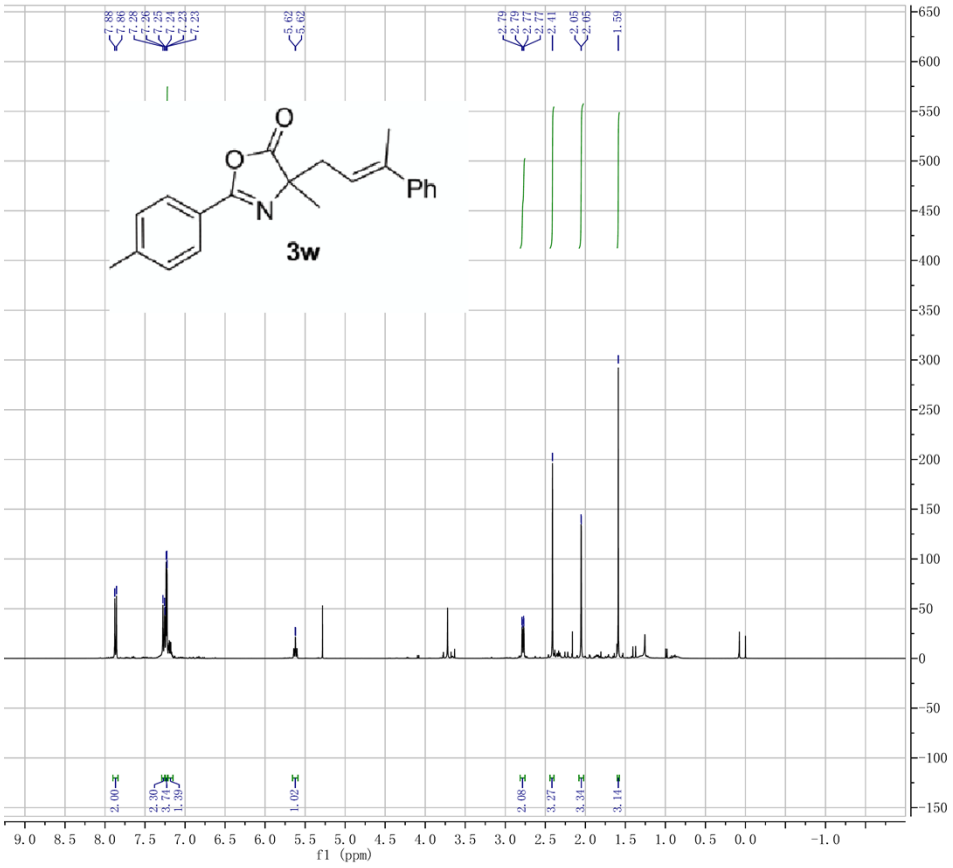

3t ^{13}C NMR
177.79
157.50
140.86
134.21
132.60
126.91
125.85
125.35
124.93
123.76
120.41
119.62
74.74
74.42
74.10
67.20
39.11
20.78
19.11
f1 (ppm)

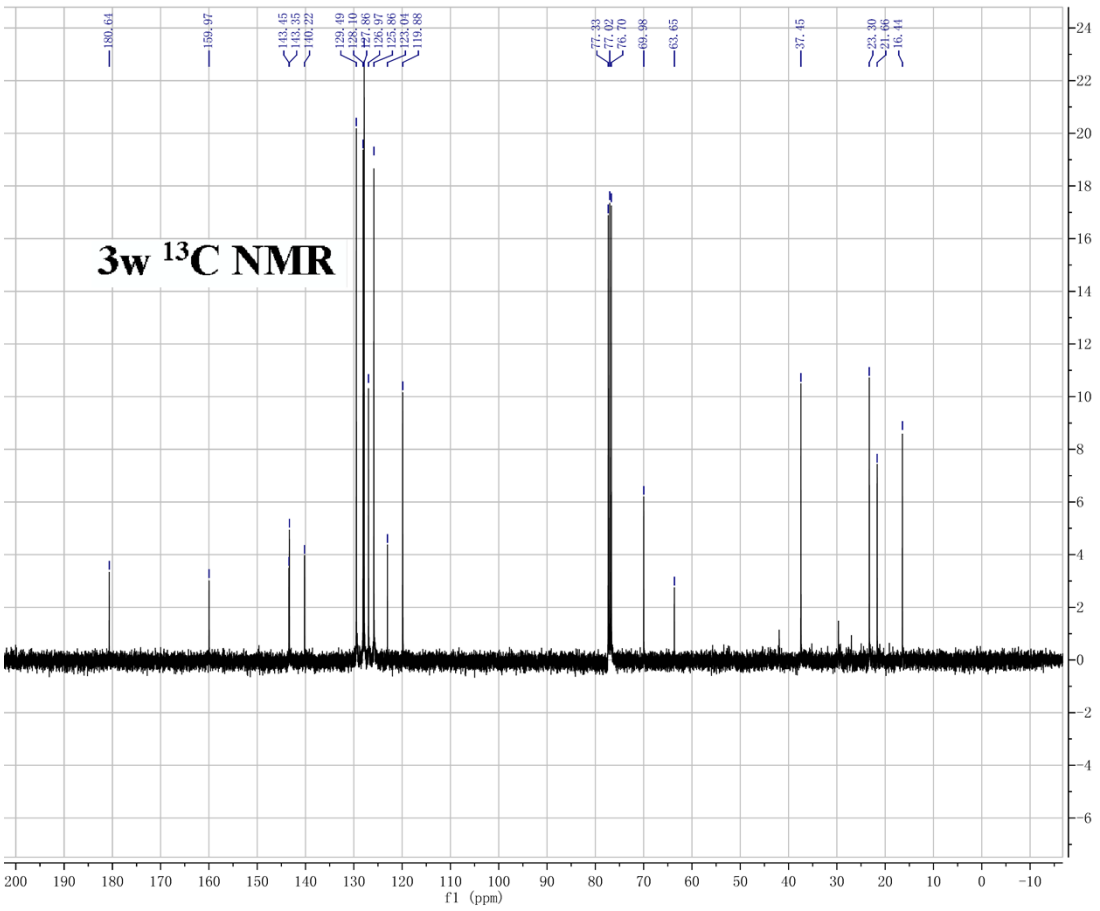

7.88
7.87
7.86
7.26
7.23
7.20
6.79
6.77
6.47
6.43
5.89
3.80
3.77
2.73
2.42
1.56
1.55
3u
OMe
1.94
1.09
1.07
2.25
2.11
0.96
1.00
2.62
1.99
2.84
2.54
f1 (ppm)


3u ^{13}C NMR
180.46
159.99
159.12
143.36
134.54
129.61
129.48
129.16
127.89
127.49
127.43
123.01
114.98
114.88
113.82
77.35
77.03
76.71
69.91
55.22
41.75
29.70
23.32
21.68
f1 (ppm)


7.87
7.85
7.29
7.27
7.25
4.82
4.82
4.79
4.78
2.59
2.59
2.58
2.42
2.16
1.72
1.72
1.72
1.52
O
O
N
3v
2.01
2.05
1.06
1.06
2.37
3.28
3.23
3.34


3v ^{13}C NMR


O
O
N
Ph
3w
f1 (ppm)


3w ^{13}C NMR
180.64
169.97
143.45
143.35
140.22
129.49
128.40
127.86
127.97
125.86
123.04
119.88
77.33
77.02
76.70
69.98
63.65
37.45
23.30
21.66
16.44
f1 (ppm)