Supporting Information

De Novo Synthesis of Benzofurans *via* Trifluoroacetic Acid Catalyzed One-pot Cascade Reaction of 2-Hydroxy-1,4-diones

Qiang Sha[a]*, Haixuan Liu[b]

[a] Jiangsu Key Laboratory of Pesticide Science and Department of Chemistry, College of Sciences, Nanjing Agricultural University, Nanjing 210095, P. R. China.
Email: qsha@njau.edu.cn
[b] Sanhome R&D Centre, Nanjing Sanhome Pharmaceutical Co., Ltd., Nanjing 211135, P. R. China.

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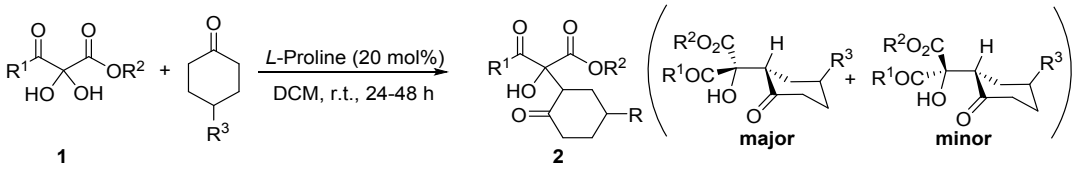
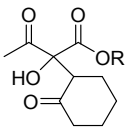
General. Solvents and reagents (AR grade) were used without purification unless otherwise noted. ^{1}H NMR and ^{13}C NMR spectra were recorded in $CDCl_3$ on an Agilent DD2-500 MHz spectrometer. Chemical shifts are reported in ppm with the solvent signals as reference, and coupling constants (*J*) are given in Hertz (Hz). The peak information is described as: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. High-resolution mass spectra (HRMS) were performed on a microTOF-ESI mass spectrometer using CsOAc as the standard. Melting points were obtained uncorrected from an Electrothermo Mel-Temp DLX 104 device.

General procedure for the *L*-proline catalyzed aldol reaction[1]



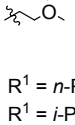
List of the products:



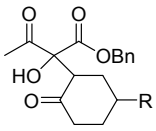
R = Bn, **2a**, 24 h, 92%, d.r. = 74;26
Me, **2b**, 32 h, 76%, d.r. = 79:21
Et, **2c**, 32 h, 80%, d.r. = 77:23

2d, 32 h, 77%, d.r. = 75:25

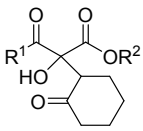
2e, 32 h, 75%, d.r. = 78:22



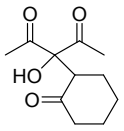
2f, 32 h, 69%, d.r. = 73:27



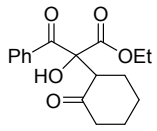
R = Me, **2l**, 32 h, 71%, d.r. = 51:24:13:12
R = Et, **2m**, 32 h, 73%, d.r. = 44:25:16:15
R = *n*-Pr, **2n**, 32 h, 94%, d.r. = 45:25:16:14
R = *t*-Bu, **2o**, 32 h, 88%, d.r. = 31:28:25:16
R = Ph, **2p**, 32 h, 70%, d.r. = 45:20:20:15
R = CO_2Me, **2q**, 32 h, 90%, d.r. = 50:20:15:15
R = $NHCOCH_3$, **2r**, 32 h, 85%, complex mixture unable to calculate d.r. by ^{1}H NMR



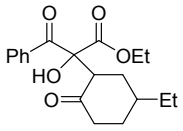
R^1 = *n*-Pr, R^2 = Et, **2g**, 48 h, 67%, d.r. = 82:18
R^1 = *i*-Pr, R^2 = Et, **2h**, 48 h, 60%, d.r. = 80:20
R^1 = Bn, R^2 = Me, **2i**, 48 h, 68%, d.r. = 78:22
R^1 = Bn, R^2 = Bn, **2j**, 48 h, 80%, d.r. = 73:27
R^1 = Et, R^2 = Me, **2k**, 32 h, 84%, d.r. = 77:23



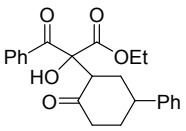
2s, 24 h, 78%



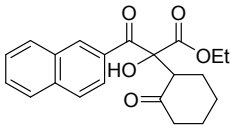
2t, 32 h, 63%
d.r. = 81:19



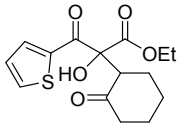
2u, 48 h, 65%
complex mixture
unable to calculate d.r. by ^{1}H NMR



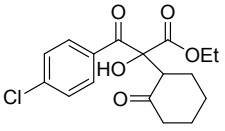
2v, 48 h, 60%
complex mixture
unable to calculate d.r. by ^{1}H NMR



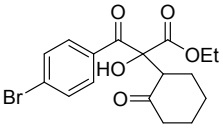
2w, 54 h, 57%
d.r. = 79:21



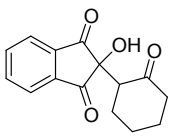
2x, 48 h, 76%
d.r. = 88:12



2y, 48 h, 65%
d.r. = 89:11



2z, 48 h, 62%
d.r. = 89:11



2aa, 48 h, 80%

In a 25 mL one-neck flask containing a magnetic stirring bar, dichloromethane (5.0 mL), **1** (1.0 mmol), **2** (2.0 mmol) and *L*-proline (23.0 mg, 0.2 mmol) were added in sequence, then the reaction mixture was stirred at room temperature for 24-54 h. After reaction was complete, the product mixture was concentrated and its components were purified by flash column chromatography

(SiO_2), eluding with hexane/ethyl acetate, to provide product **2**.

Note: Among the products, **2a**, **2b**, **2j, 2k, 2t, 2w, 2x, 2y**, **2z, 2aa** are known compounds,[1] the others are new compounds and were fully characterized.

General procedure for the synthesis of benzofuran derivatives

Procedure for the synthesis of 3a-3r

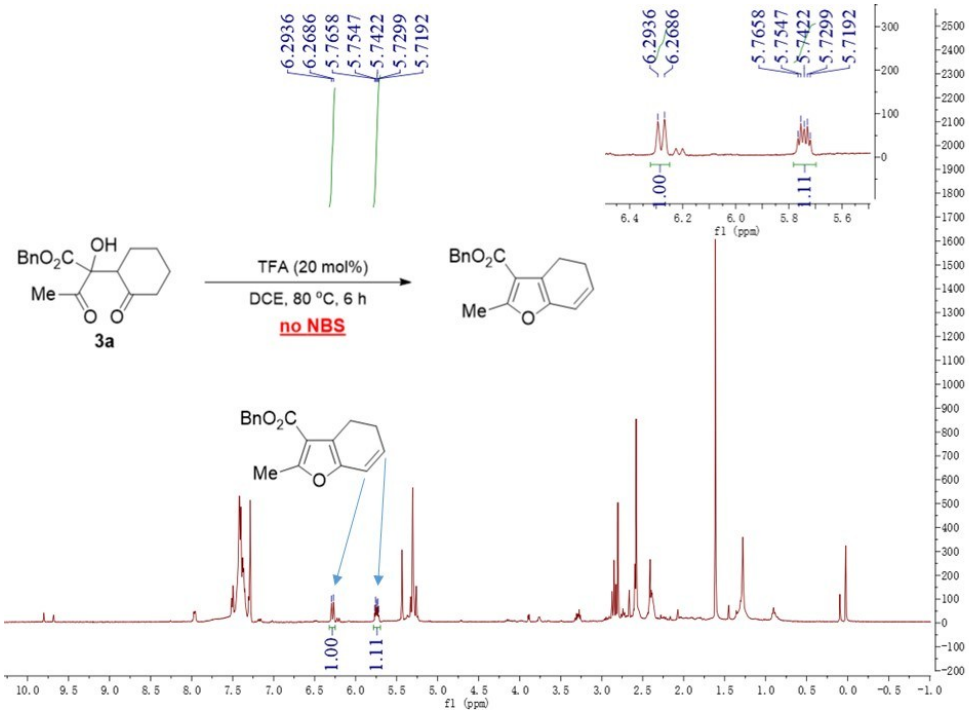
A Schlenk tube with a magnetic stir bar charged with 2-hydroxy-1,4-diones **2** (0.20 mmol), trifluoroacetic acid (0.04 mmol, 0.2 equiv.), 1,2-dichloroethane (DCE, 2.0 mL) and *N*-Bromobutanimide (NBS, 0.30 mmol, 1.5 equiv.). The reaction mixture was then heated to 80 °C and stirred for 6 hours. The reaction mixture was then allowed to cool to ambient temperature and all of the volatiles were removed under vacuum, the crude product was purified on flash chromatography, eluting with petroleum ether/ethyl acetate, to provide substituted benzofuran **3**.

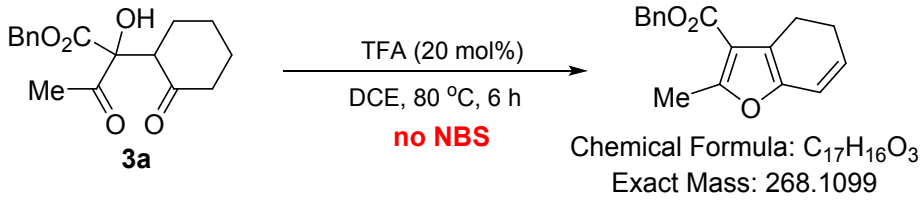
Procedure for the synthesis of 3t-3aa

A Schlenk tube with a magnetic stir bar charged with 2-hydroxy-1,4-diones **2** (0.20 mmol), trifluoroacetic acid (0.04 mmol, 0.2 equiv.), 1,2-dichloroethane (DCE, 2.0 mL) and *N*-Bromobutanimide (NBS, 0.30 mmol, 1.5 equiv.). The reaction mixture was then heated to 130 °C and stirred for 36 hours. The reaction mixture was then allowed to cool to ambient temperature and all of the volatiles were removed under vacuum, the crude product was purified on flash chromatography, eluting with petroleum ether/ethyl acetate, to provide substituted benzofuran **3**.

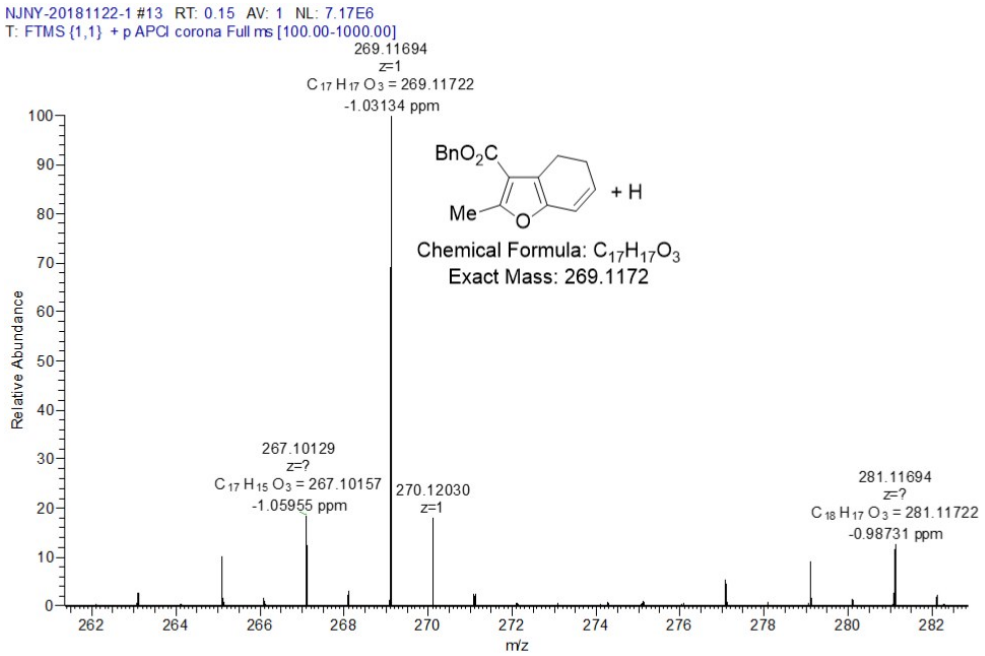
Detect the intermediate by ^{1}H NMR and HRMS

In order to detect possible intermediates, we performed the reaction without using NBS. By analyzing the reaction mixture, we were glad to detect the intermediate benzyl 2-methyl-4,5-dihydrobenzofuran-3-carboxylate by both ^{1}H NMR and HRMS.

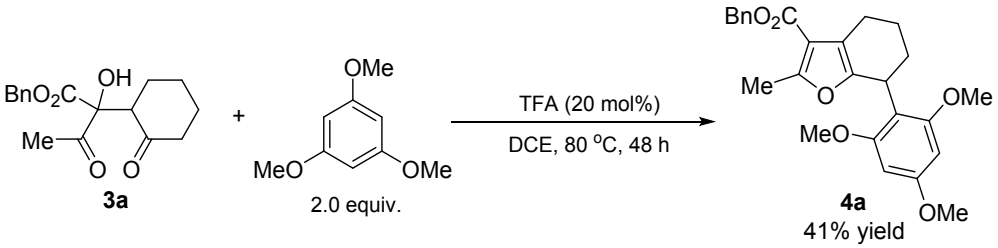




Chemical Formula: $C_{17}H_{16}O_3$
Exact Mass: 268.1099



Capture possible intermediate by 1,3,5-trimethoxybenzene

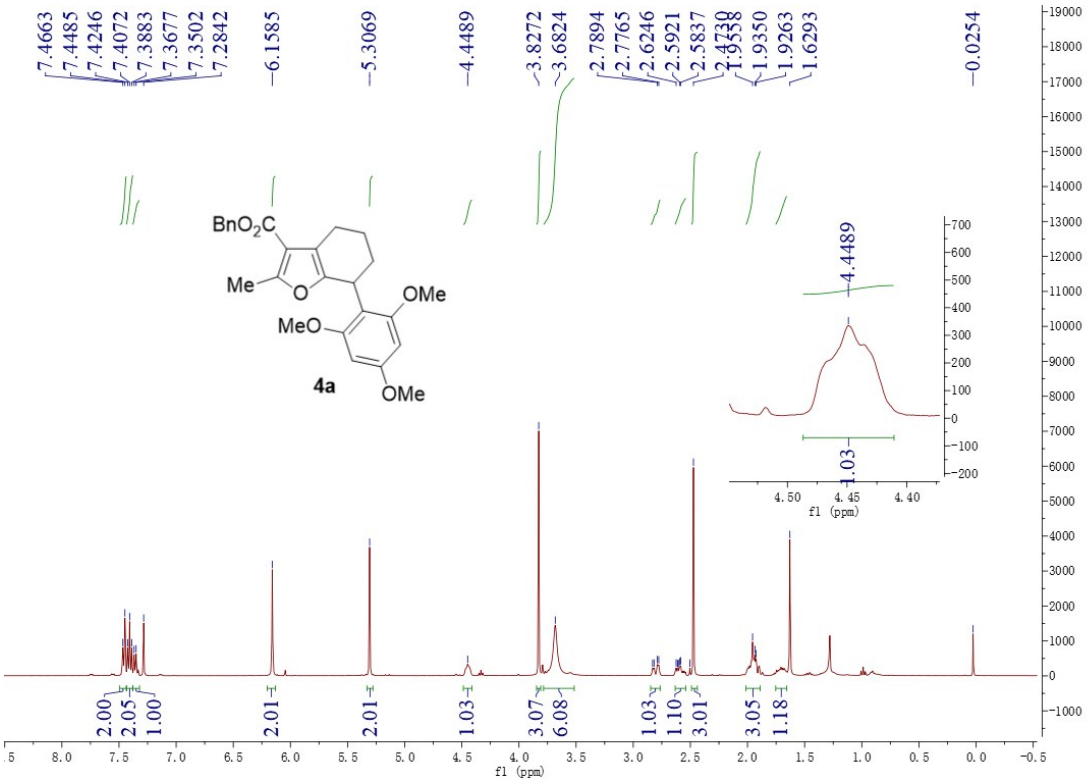


A Schlenk tube with a magnetic stir bar charged with 2-hydroxy-1,4-dione **3a** (0.20 mmol), trifluoroacetic acid (0.04 mmol, 0.2 equiv.), 1,2-dichloroethane (DCE, 2.0 mL) and 1,3,5-trimethoxylbenzene (0.40 mmol, 2.0 equiv.). The reaction mixture was then heated to 80 °C and stirred for 48 hours. The reaction mixture was then allowed to cool to ambient temperature and all of the volatiles were removed under vacuum, the crude product was purified on flash chromatography, eluding with petroleum/ethyl acetate, to provide **4a** in 41% yield.

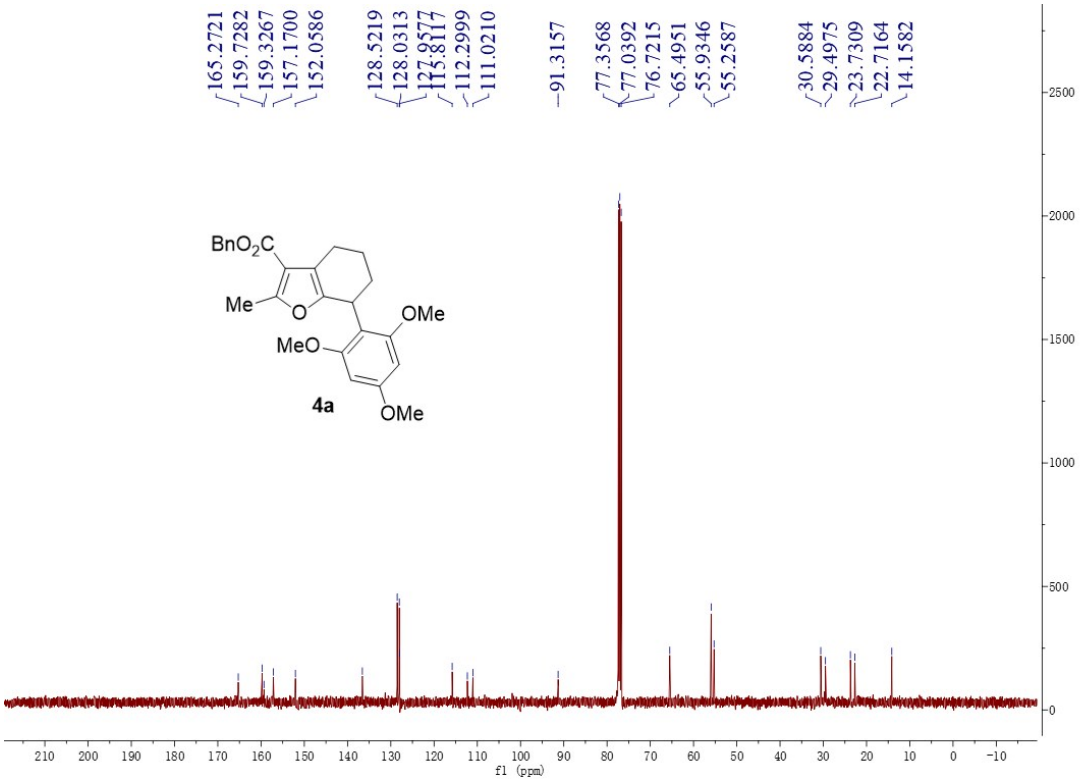
Benzyl 2-methyl-7-(2,4,6-trimethoxyphenyl)-4,5,6,7-tetrahydrobenzofuran-3-carboxylate (4a)

35.8 mg (white solid, 41% yield); R_f = 0.33 (PE/EtOAc = 10:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47-7.35 (m, 5H), 6.16 (s, 2H), 5.31 (s, 2H), 4.45 (brs, 1H), 3.83 (s, 3H), 3.68 (brs, 6H), 2.83-2.78 (m, 1H), 2.62-2.56 (m, 1H), 2.47 (s, 3H), 2.00-1.90 (m, 3H), 1.75-1.67 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.3, 159.7, 159.3, 157.2, 152.1, 136.6, 128.5, 128.0, 127.9, 115.8, 112.3, 111.0, 91.3, 65.5, 55.9, 55.3, 30.6, 29.5, 23.7, 22.7, 14.2; HRMS (ESI) *m/z* calculated for $[C_{26}H_{28}O_6+H]^+$ $[M+H]^+$ 437.1959, found: 437.1957.

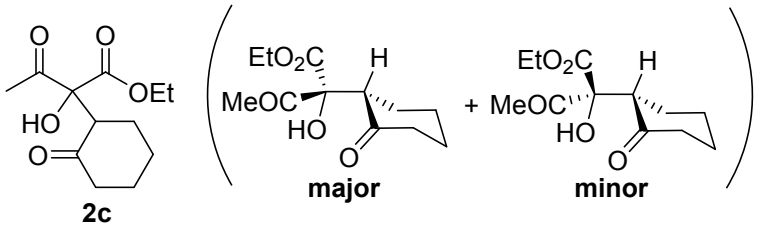
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



Characterization data of products 2 and 3

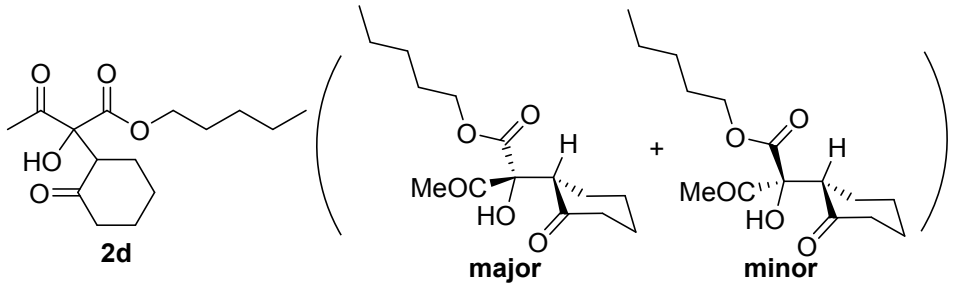


Ethyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2c, major diastereoisomer)

149.1 mg (colorless oil); R_f = 0.19 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.26 (s, 1H), 4.18 (q, *J* = 7.1 Hz, 2H), 3.57 (dd, *J* = 11.6 Hz, 6.2 Hz, 1H), 2.35-2.25 (m, 5H), 2.01-1.98 (m, 1H), 1.89-1.86 (m, 1H), 1.79-1.72 (m, 2H), 1.66-1.54 (m, 2H), 1.22 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.4, 204.8, 169.7, 84.8, 63.0, 56.5, 41.8, 27.5, 26.6, 24.9, 24.5, 14.0; HRMS (ESI) *m/z* calculated for $[C_{12}H_{18}O_5+Na]^+$ $[M+Na]^+$ 265.1046, found: 265.1044.

Ethyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2c, minor diastereoisomer)

44.5 mg (colorless oil); R_f = 0.29 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.17 (q, *J* = 7.1 Hz, 2H), 4.08 (s, 1H), 3.55 (dd, *J* = 11.5 Hz, 5.6 Hz, 1H), 2.36-2.29 (m, 2H), 2.22 (s, 3H), 2.05-2.04 (m, 1H), 1.86-1.85 (m, 1H), 1.79-1.78 (m, 1H), 1.71-1.60 (m, 3H), 1.20 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.2, 206.0, 170.2, 84.6, 62.7, 55.8, 41.9, 27.5, 27.1, 25.8, 24.6, 13.8.

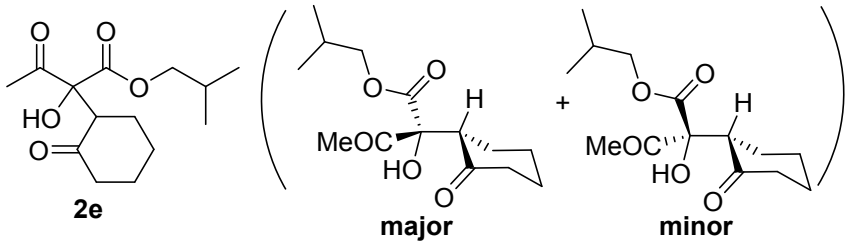


Pentyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2d, major diastereoisomer)

164.0 mg (colorless oil); R_f = 0.19 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.16 (s, 1H), 4.09-4.02 (m, 2H), 3.53-3.48 (m, 1H), 2.30-2.13 (m, 5H), 1.95-1.52 (m, 8H), 1.19-1.13 (m, 4H), 0.78-0.75 (m, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.4, 204.8, 169.8, 84.9, 67.1, 56.6, 41.9, 28.1, 27.8, 27.6, 26.7, 25.0, 24.6, 22.1, 13.9; HRMS (ESI) *m/z* calculated for $[C_{15}H_{24}O_5+Na]^+$ $[M+Na]^+$ 307.1520, found: 307.1517.

Pentyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2d, minor diastereoisomer)

54.7 mg (colorless oil); R_f = 0.33 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.08 (s, 1H), 4.02 (t, *J* = 6.6 Hz, 2H), 3.51-3.47 (m, 1H), 2.30-2.19 (m, 3H), 2.15 (s, 3H), 1.99-1.96 (m, 1H), 1.78-1.72 (m, 3H), 1.64-1.59 (m, 3H), 1.23-1.15 (m, 4H), 0.78 (t, *J* = 6.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.0, 205.8, 170.2, 84.5, 66.6, 55.7, 41.8, 27.8, 27.7, 27.5, 27.0, 24.9, 24.5, 22.0, 13.8.

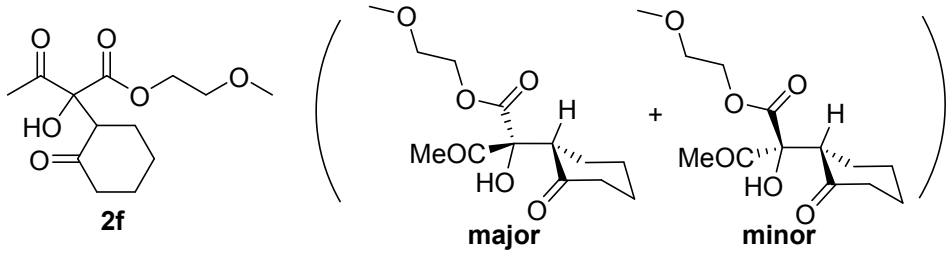


Isobutyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2e, major diastereoisomer)

158.0 mg (colorless oil); R_f = 0.27 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.25 (s, 1H), 3.86 (d, *J* = 6.6 Hz, 2H), 3.57-3.53 (m, 1H), 2.28-2.22 (m, 5H), 1.98-1.95 (m, 1H), 1.88-1.83 (m, 2H), 1.75-1.69 (m, 2H), 1.61-1.54 (m, 2H), 0.82 (d, *J* = 6.7 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.1, 204.7, 169.7, 84.8, 72.7, 56.5, 41.7, 27.6, 27.5, 26.6, 24.9, 24.5, 18.7; HRMS (ESI) *m/z* calculated for $[C_{14}H_{22}O_5+Na]^+$ $[M+Na]^+$ 293.1359, found: 293.1355.

Isobutyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2e, minor diastereoisomer)

44.5 mg (colorless oil); R_f = 0.38 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.07 (s, 1H), 3.91-3.84 (m, 2H), 3.58-3.53 (m, 1H), 2.33-2.25 (m, 2H), 2.21 (s, 3H), 2.05-2.01 (m, 1H), 1.89-1.79 (m, 4H), 1.70-1.60 (m, 2H). 0.83 (d, *J* = 6.7 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.3, 206.0, 170.3, 84.6, 72.6, 55.8, 41.9, 27.6, 27.5, 27.1, 25.8, 24.6, 18.8.



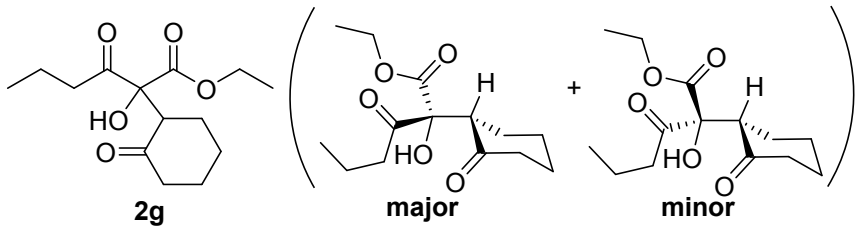
2-Methoxyethyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2f, major diastereoisomer)

137.0 mg (colorless oil); R_f = 0.10 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.33 (s, 1H), 4.30-4.25 (m, 1H), 4.21-4.17 (m, 1H), 3.54 (dd, *J* = 12.1 Hz, 5.3 Hz, 1H), 3.7 (t, *J* = 4.7 Hz, 2H), 3.23 (s, 3H), 2.26-2.15 (m, 5H), 1.97-1.93 (m, 1H), 1.84-1.79 (m, 2H), 1.75-1.49 (m, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.3, 204.6, 169.6, 84.8, 69.8, 65.2, 58.7, 56.4, 41.7, 27.4, 26.6, 24.8, 24.4; HRMS (ESI) *m/z* calculated for $[C_{13}H_{20}O_6+Na]^+$ $[M+Na]^+$ 295.1152, found: 295.1147.

2-Methoxyethyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2f, minor diastereoisomer)

50.7 mg (colorless oil); R_f = 0.19 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.31 (t, *J* = 4.7 Hz, 2H), 4.11 (s, 1H), 3.65-3.53 (m, 3H), 3.33 (s, 3H), 2.43-2.32 (m, 2H), 2.28 (s, 3H), 2.10-2.07

(m, 1H), 1.91-1.78 (m, 2H), 1.75-1.63 (m, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.3, 205.6, 170.3, 84.7, 69.9, 65.3, 58.8, 55.9, 41.9, 27.6, 27.1, 25.7, 24.6.

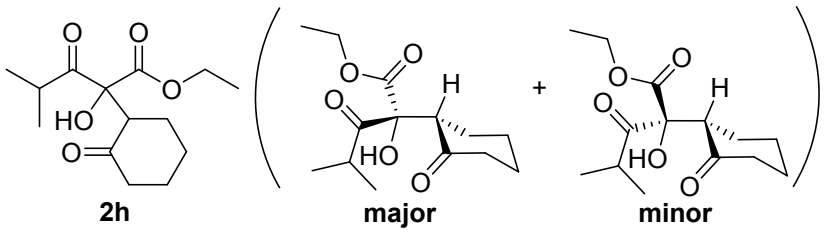


Ethyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)hexanoate (2g, major diastereoisomer)

148.3 mg (colorless oil); R_f = 0.32 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.23-4.18 (m, 3H), 3.63-3.58 (m, 1H), 2.85-2.79 (m, 1H), 2.46-2.26 (m, 3H), 2.03-2.00 (m, 1H), 1.90-1.49 (m, 7H), 1.22 (t, *J* = 7.0 Hz, 3H), 0.83 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.5, 206.6, 69.9, 84.8, 62.9, 56.4, 41.9, 38.6, 27.5, 26.8, 24.5, 16.8, 14.0, 13.4; HRMS (ESI) *m/z* calculated for $[C_{14}H_{22}O_5+Na]^+$ $[M+Na]^+$ 293.1359, found: 293.1355.

Ethyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)hexanoate (2g, minor diastereoisomer)

32.6 mg (colorless oil); R_f = 0.43 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.17 (q, *J* = 7.1 Hz, 2H), 4.04 (s, 1H), 3.60-3.55 (m, 1H), 2.69-2.50 (m, 2H), 2.39-2.30 (m, 2H), 2.06-2.03 (m, 1H), 1.87-1.49 (m, 7H), 1.20 (t, *J* = 7.1 Hz, 3H), 0.84 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.4, 207.8, 170.4, 84.6, 62.6, 55.9, 42.0, 39.5, 27.6, 27.1, 24.6, 16.6, 13.9, 13.4.

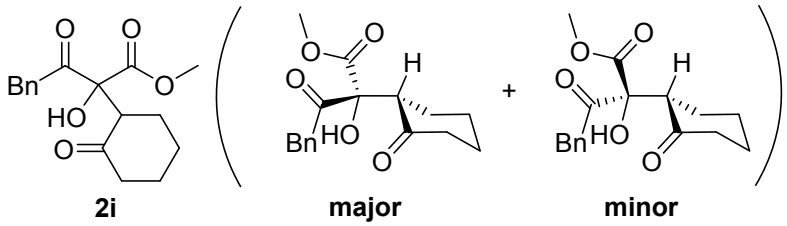


Ethyl (*R*)-2-hydroxy-4-methyl-3-oxo-2-((*S*)-2-oxocyclohexyl)pentanoate (2h, major diastereoisomer)

129.6 mg (colorless oil); R_f = 0.25 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.17-4.11 (m, 3H), 3.59-3.54 (m, 1H), 3.42 (sep, *J* = 6.8 Hz, 1H), 2.27-2.17 (m, 2H), 1.96-1.93 (m, 1H), 1.82-1.80 (m, 1H), 1.68-1.47 (m, 4H), 1.16 (t, *J* = 7.1 Hz, 3H), 0.98 (d, *J* = 6.7 Hz, 3H), 0.86 (d, *J* = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.7, 209.2, 170.3, 84.0, 63.0, 57.3, 41.8, 34.9, 27.2, 26.8, 24.5, 18.9, 18.4, 13.9; HRMS (ESI) *m/z* calculated for $[C_{14}H_{22}O_5+Na]^+$ $[M+Na]^+$ 293.1359, found: 293.1355.

Ethyl (*S*)-2-hydroxy-4-methyl-3-oxo-2-((*S*)-2-oxocyclohexyl)pentanoate (2h, minor diastereoisomer)

32.4 mg (colorless oil); R_f = 0.38 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.15 (q, *J* = 7.1 Hz, 2H), 4.04 (s, 1H), 3.57-3.54 (m, 1H), 3.30 (sep, *J* = 6.7 Hz, 1H), 2.36-2.25 (m, 2H), 2.04-2.01 (m, 1H), 1.84-1.83 (m, 1H), 1.71-1.60 (m, 4H), 1.17 (t, *J* = 7.1 Hz, 3H), 0.99-0.96 (m, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 211.9, 210.7, 170.4, 84.7, 62.6, 56.2, 42.0, 35.0, 28.0, 27.1, 24.6, 18.8, 18.5, 13.8.



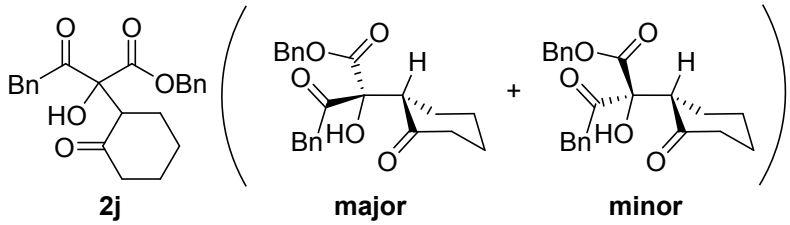
2i **major** **minor**

Methyl (R)-2-hydroxy-3-oxo-2-((S)-2-oxocyclohexyl)-4-phenylbutanoate (2i, major diastereoisomer)

161.3 mg (light yellow oil); R_f = 0.25 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34-7.28 (m, 3H), 7.18-7.16 (m, 2H), 4.18 (brs, 1H), 4.03 (d, *J* = 16.6 Hz, 1H), 3.95 (d, *J* = 16.6 Hz, 1H), 3.72 (s, 3H), 3.63 (dd, *J* = 11.2 Hz, 5.9 Hz, 1H), 2.44-2.34 (m, 2H), 2.10-2.06 (m, 1H), 1.90-1.87 (m, 1H), 1.79-1.76 (m, 2H), 1.69-1.64 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.7, 205.2, 170.8, 133.1, 129.8, 128.5, 127.0, 84.8, 56.1, 53.6, 44.3, 42.0, 27.7, 27.1, 24.6; HRMS (ESI) *m/z* calculated for $[C_{17}H_{20}O_5+Na]^+$ $[M+Na]^+$ 327.1203, found: 327.1199.

Methyl (S)-2-hydroxy-3-oxo-2-((S)-2-oxocyclohexyl)-4-phenylbu tanoate (2i, minor diastereoisomer)

45.5 mg (light yellow oil); R_f = 0.40 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28-7.25 (m, 2H), 7.22-7.17 (m, 3H), 4.31 (brs, 1H), 4.18 (d, *J* = 16.3 Hz, 1H), 4.00 (d, *J* = 16.3 Hz, 1H), 3.69-3.63 (m, 4H), 2.40-2.36 (m, 1H), 2.31-2.23 (m, 1H), 2.02-2.01 (m, 1H), 1.90-1.87 (m, 1H), 1.79-1.74 (m, 2H), 1.67-1.56 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.3, 204.4, 170.4, 133.7, 129.9, 128.4, 126.9, 84.7, 57.2, 53.8, 44.1, 41.8, 27.3, 26.7, 24.4.



2j **major** **minor**

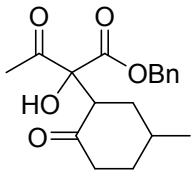
Benzyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)-4-phenylbutanoate (2j, major diastereoisomer)[1]

222.0 mg; R_f = 0.35 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40-7.35 (m, 4H), 7.32-7.26

(m, 4H), 7.08 (d, *J* = 6.8 Hz, 2H), 5.20 (d, *J* = 12.2 Hz, 1H), 5.12 (d, *J* = 12.2 Hz, 1H), 4.20 (s, 1H), 4.00 (d, *J* = 16.9 Hz, 1H), 3.91 (d, *J* = 16.9 Hz, 1H), 3.67 (dd, *J* = 12.0 Hz, 5.7 Hz, 1H), 2.44-2.28 (m, 2H), 2.10-2.03 (m, 1H), 1.89-1.81 (m, 2H), 1.77-1.66 (m, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 210.8, 204.9, 170.2, 135.0, 133.2, 129.8, 128.6, 128.5, 128.5, 128.3, 127.0, 85.0, 68.3, 56.1, 44.3, 42.0, 27.8, 27.2, 24.6; HRMS (ESI) *m/z* calculated for $[C_{23}H_{24}O_5+Na]^+$ $[M+Na]^+$ 403.1516, found: 403.1512.

Benzyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)-4-phenylbutanoate (2j, minor diastereoisomer)

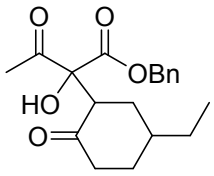
82.1 mg; R_f = 0.48 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39-7.37 (m, 2H), 7.33-7.25 (m, 6H), 7.15 (d, *J* = 6.8 Hz, 2H), 5.17 (d, *J* = 12.2 Hz, 1H), 5.11 (d, *J* = 12.1 Hz, 1H), 4.28 (s, 1H), 4.18 (d, *J* = 16.4 Hz, 1H), 4.03 (d, *J* = 16.4 Hz, 1H), 3.73 (dd, *J* = 12.5 Hz, 5.8 Hz, 1H), 2.46-2.42 (m, 1H), 2.36-2.28 (m, 1H), 2.07-2.04 (m, 1H), 1.88-1.87 (m, 1H), 1.80-1.60 (m, 4H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 209.4, 204.3, 169.8, 134.7, 133.7, 129.9, 128.8, 128.7, 128.4, 128.4, 126.9, 84.8, 68.5, 57.2, 44.3, 41.9, 27.3, 26.8, 24.5.



2l

Benzyl 2-hydroxy-2-(5-methyl-2-oxocyclohexyl)-3-oxobutanoate (2l)

225.9 mg (71% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can calculate the d.r value (d.r. = 51:24:13:12); HRMS (ESI) *m/z* calculated for $[C_{18}H_{22}O_5+Na]^+$ $[M+Na]^+$ 341.1359, found: 341.1354.

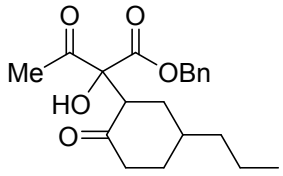


2m

Benzyl 2-(5-ethyl-2-oxocyclohexyl)-2-hydroxy-3-oxobutanoate (2m)

242.5 mg (73% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can calculate the d.r value (d.r. = 44:25:16:15); HRMS (ESI) *m/z* calculated for $[C_{19}H_{24}O_5+Na]^+$ $[M+Na]^+$ 355.1516, found:

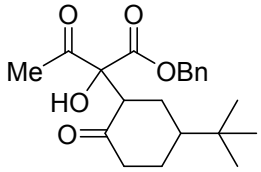
355.1510.



2n

Benzyl 2-hydroxy-3-oxo-2-(2-oxo-5-propylcyclohexyl)butanoate (2n)

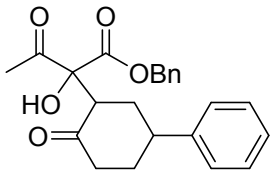
325.4 mg (94% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can calculate the d.r value (d.r. = 45:25:16:14); HRMS (ESI) *m/z* calculated for $[C_{20}H_{26}O_5+Na]^+$ $[M+Na]^+$ 369.1673, found: 369.1668.



2o

Benzyl 2-(5-(tert-butyl)-2-oxocyclohexyl)-2-hydroxy-3-oxobutanoate (2o)

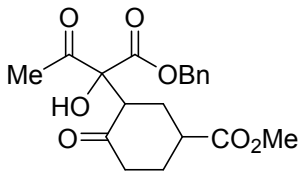
316.9 mg (88% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can calculate the d.r value (d.r. = 31:28:25:16); HRMS (ESI) *m/z* calculated for $[C_{21}H_{28}O_5+Na]^+$ $[M+Na]^+$ 383.1829, found: 383.1820.



2p

Benzyl 2-hydroxy-3-oxo-2-(2-oxo-5-phenylcyclohexyl)butanoate (2p)

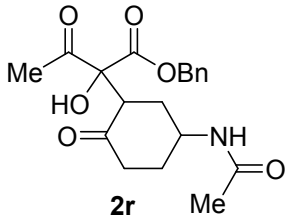
266.1 mg (70% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can calculate the d.r value (d.r. = 45:20:20:15); HRMS (ESI) *m/z* calculated for $[C_{23}H_{24}O_5+Na]^+$ $[M+Na]^+$ 403.1516, found: 403.1510.



2q

Methyl 3-(1-(benzyloxy)-2-hydroxy-1,3-dioxobutan-2-yl)-4-oxocyclohexane-1-carboxylate (2q)

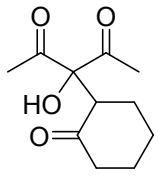
325.9 mg (90% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can calculate the d.r value (d.r. = 50:20:15:15); HRMS (ESI) *m/z* calculated for $[C_{19}H_{22}O_7+Na]^+$ $[M+Na]^+$ 385.1258, found: 385.1250.



2r

Benzyl 2-(5-acetamido-2-oxocyclohexyl)-2-hydroxy-3-oxobutanoate (2r)

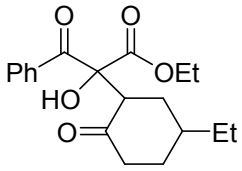
306.9 mg (85% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can't able to calculate the d.r value; HRMS (ESI) *m/z* calculated for $[C_{17}H_{23}NO_6+Na]^+$ $[M+Na]^+$ 384.1415, found: 384.1411.



2s

3-Hydroxy-3-(2-oxocyclohexyl)pentane-2,4-dione (2s)

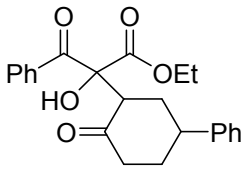
165.4 mg (colorless oil, 78% yield); R_f = 0.29 (PE/EtOAc = 5:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.67 (s, 1H), 3.60-3.56 (m, 1H), 2.31-2.15 (m, 3H), 2.11 (s, 3H), 2.10 (s, 3H), 1.94-1.92 (m, 1H), 1.81-1.78 (m, 1H), 1.61-1.51 (m, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 208.6, 207.1, 205.9, 91.2, 57.1, 41.7, 27.0, 26.3, 25.0, 24.8, 24.3; HRMS (ESI) *m/z* calculated for $[C_{11}H_{16}O_4-H]^-$ $[M-H]^-$ 211.0976, found: 211.0972.



2u

Ethyl 2-(5-ethyl-2-oxocyclohexyl)-2-hydroxy-3-oxo-3-phenylpropanoate (2u)

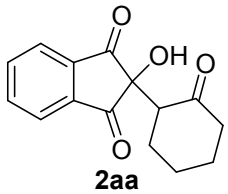
209.4 mg (65% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can't able to calculate the d.r value; HRMS (ESI) *m/z* calculated for $[C_{19}H_{24}O_5\text{-}H]^-$ [M-H]$^-$ 331.1551, found: 331.1553.



2v

Ethyl 2-hydroxy-3-oxo-2-(2-oxo-5-phenylcyclohexyl)-3-phenylpropanoate (2v)

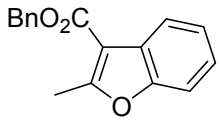
228.1 mg (60% yield); The diastereoisomers can't be separated in pure form by column chromatography. From the ^{1}H NMR of the reaction mixture, we can't able to calculate the d.r value; HRMS (ESI) *m/z* calculated for $[C_{23}H_{24}O_5\text{-}H]^-$ [M-H]$^-$ 379.1545, found: 379.1547.



2aa

2-Hydroxy-2-(2-oxocyclohexyl)-1*H*-indene-1,3(2*H*)-dione (2aa)[2]

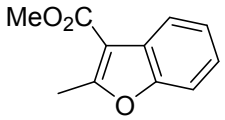
206.4 mg (light yellow solid, 80% yield); m.p.: 121.3-122.6 ^{o}C; R_f = 0.14 (PE/EtOAc = 3:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03-8.01 (m, 2H), 7.91-7.89 (m, 2H), 3.52 (brs, 1H), 3.29 (dd, *J* = 13.0 Hz, 5.7 Hz, 1H), 2.35-2.31 (m, 2H), 2.10-2.03 (m, 3H), 1.69-1.63 (m, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 211.5, 199.4, 198.7, 141.4, 140.6, 136.02, 135.97, 123.9, 123.8, 74.1, 55.6, 41.5, 27.1, 26.7, 24.7.



3a

Benzyl 2-methylbenzofuran-3-carboxylate (3a)[3]

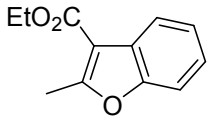
45.8 mg (colorless oil, 86% yield); R_f = 0.38 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00-7.98 (m, 1H), 7.52 (d, *J* = 7.2 Hz, 2H), 7.48-7.39 (m, 4H), 7.33-7.30 (m, 2H), 5.45 (s, 2H), 2.81 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.3, 164.0, 153.6, 136.2, 128.7, 128.3, 128.2, 126.2, 124.4, 123.9, 121.8, 110.8, 108.8, 66.1, 14.6.



3b

Methyl 2-methylbenzofuran-3-carboxylate (3b)[3]

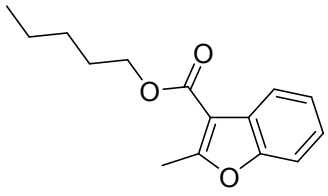
30.4 mg (colorless oil, 80% yield); R_f = 0.36 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, *J* = 6.5 Hz, 1H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.32-7.28 (m, 2H), 3.98 (s, 3H), 2.80 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.0, 163.7, 153.6, 126.1, 124.3, 123.8, 121.7, 110.8, 108.9, 51.4, 14.5.



3c

Ethyl 2-methylbenzofuran-3-carboxylate (3c)[3]

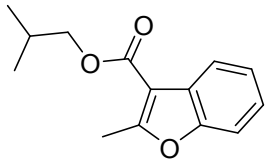
31.8 mg (colorless oil, 78% yield); R_f = 0.36 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 6.6 Hz, 1H), 7.45 (d, *J* = 8.3 Hz, 1H), 7.32-7.28 (m, 2H), 4.44 (q, *J* = 7.1 Hz, 2H), 2.80 (s, 3H), 1.47 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.6, 163.6, 153.6, 126.2, 124.3, 123.7, 121.7, 110.8, 109.0, 60.3, 14.4.



3d

Pentyl 2-methylbenzofuran-3-carboxylate (3d)

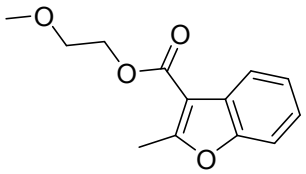
44.3 mg (colorless oil, 90% yield); R_f = 0.46 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99-7.97 (m, 1H), 7.46-7.44 (m, 1H), 7.33-7.30 (m, 2H), 4.38 (t, *J* = 6.7 Hz, 2H), 2.80 (s, 3H), 1.88-1.81 (m, 2H), 1.53-1.41 (m, 4H), 0.97 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.6, 163.6, 153.6, 126.3, 124.3, 123.7, 121.7, 110.8, 109.1, 64.5, 28.5, 28.3, 22.4, 14.5, 14.0; HRMS (ESI) *m/z* calculated for $[C_{15}H_{18}O_3+H]^+$ $[M+H]^+$ 247.1329, found: 247.1328.



3e

Isobutyl 2-methylbenzofuran-3-carboxylate (3e)

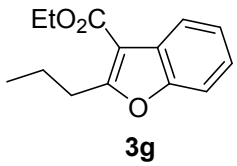
36.7 mg (colorless oil, 79% yield); R_f = 0.46 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 7.2 Hz, 1H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.34-7.28 (m, 2H), 4.18 (d, *J* = 6.5 Hz, 2H), 2.81 (s, 3H), 2.21-2.11 (m, 1H), 1.09 (d, *J* = 6.7 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.7, 163.7, 153.6, 126.3, 124.3, 123.8, 121.7, 110.8, 109.1, 70.6, 27.9, 19.4, 14.5; HRMS (ESI) *m/z* calculated for $[C_{14}H_{16}O_3+H]^+$ $[M+H]^+$ 233.1172, found: 233.1172.



3f

2-Methoxyethyl 2-methylbenzofuran-3-carboxylate (3f)

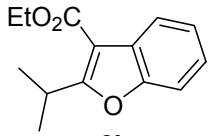
29.0 mg (colorless oil, 62% yield); R_f = 0.38 (PE/EtOAc = 10:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01-7.99 (m, 1H), 7.46-.44 (m, 1H), 7.32-7.28 (m, 2H), 4.52 (d, *J* = 4.7 Hz, 2H), 3.79 (d, *J* = 4.7 Hz, 2H), 3.47 (s, 3H), 2.80 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.4, 163.9, 153.6, 126.2, 124.3, 123.8, 121.8, 110.7, 108.9, 70.6, 63.1, 59.0, 14.5; HRMS (ESI) *m/z* calculated for $[C_{13}H_{14}O_4+H]^+$ $[M+H]^+$ 235.0965, found: 235.0963.



3g

Ethyl 2-propylbenzofuran-3-carboxylate (3g)

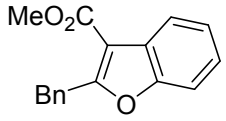
33.4 mg (colorless oil, 72% yield); R_f = 0.44 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01-7.99 (m, 1H), 7.47-7.45 (m, 1H), 7.34-7.28 (m, 2H), 4.44 (q, *J* = 7.0 Hz, 2H), 3.19 (t, *J* = 7.4 Hz, 2H), 1.89-1.80 (m, 2H), 1.47 (t, *J* = 7.0 Hz, 3H), 1.04 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.4, 164.5, 153.6, 126.3, 124.3, 123.7, 121.9, 110.8, 108.7, 60.2, 30.0, 21.4, 14.4, 13.9; HRMS (ESI) *m/z* calculated for $[C_{14}H_{16}O_3+H]^+$ $[M+H]^+$ 233.1172, found: 233.1171.



3h

Ethyl 2-isopropylbenzofuran-3-carboxylate (3h)

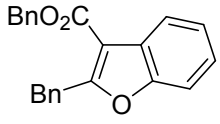
31.2 mg (colorless oil, 68% yield); R_f = 0.44 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02-8.00 (m, 1H), 7.50-7.47 (m, 1H), 7.34-7.30 (m, 2H), 4.45 (q, *J* = 7.1 Hz, 2H), 4.07 (sep, *J* = 7.0 Hz, 1H), 1.48 (t, *J* = 7.1 Hz, 3H), 1,41 (d, *J* = 7.0 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.5, 164.5, 153.5, 126.3, 124.2, 123.7, 122.0, 110.9, 107.1, 60.3, 27.5, 20.6, 14.4; HRMS (ESI) *m/z* calculated for $[C_{14}H_{16}O_3+H]^+$ $[M+H]^+$ 233.1172, found: 233.1172.



3i

Methyl 2-benzylbenzofuran-3-carboxylate (3i)

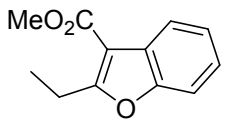
29.3 mg (colorless oil, 55% yield); R_f = 0.35 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02-8.00 (m, 1H), 7.46-7.44 (m, 1H), 7.40-7.38 (m, 2H), 7.35-7.30 (m, 4H), 7.28-7.26 (m, 1H), 4.58 (s, 2H), 4.01 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.8, 164.6, 153.9, 136.8, 128.9 128.6, 126.9, 125.9, 124.7, 123.9, 122.1, 111.1, 109.0, 51.6, 34.1; HRMS (ESI) *m/z* calculated for $[C_{17}H_{14}O_3-H]^-$ $[M-H]^-$ 265.0870, found: 265.0866.



3j

Benzyl 2-benzylbenzofuran-3-carboxylate (3j)

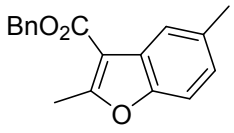
34.2 mg (colorless oil, 50% yield); R_f = 0.38 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00-7.98 (m, 1H), 7.50-7.49 (m, 2H), 7.46-7.39 (m, 4H), 7.35-7.28 (m, 6H), 7.26-7.24 (m, 1H), 5.46 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.8, 164.1, 153.9, 136.7, 135.9, 128.9, 128.7, 128.6, 128.4, 126.8, 126.0, 124.7, 123.9, 122.1, 111.1, 108.9, 66.4, 34.1; HRMS (ESI) *m/z* calculated for $[C_{23}H_{18}O_3-H]^-$ $[M-H]^-$ 341.1183, found: 341.1179.



3k

Methyl 2-ethylbenzofuran-3-carboxylate (3k)[4]

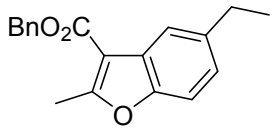
28.6 mg (colorless oil, 70% yield); R_f = 0.40 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00-7.98 (m, 1H), 7.48-7.46 (m, 1H), 7.33-7.30 (m, 2H), 3.97 (s, 3H), 3.24 (q, *J* = 7.6 Hz, 2H), 1.38 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.5, 164.9, 153.6, 126.2, 124.3, 123.8, 121.9, 110.9, 107.9, 51.4, 21.7, 12.1.



3l

Benzyl 2,5-dimethylbenzofuran-3-carboxylate (3l)[4]

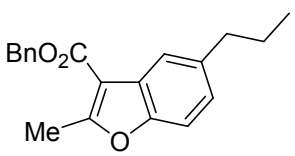
43.7 mg (colorless oil, 78% yield); R_f = 0.40 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (s, 1H), 7.52-7.51 (m, 2H), 7.46-7.38 (m, 3H), 7.33 (d, *J* = 8.4 Hz, 1H), 7.11 (d, *J* = 8.4 Hz, 1H), 5.44 (s, 2H), 2.78 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.4, 164.0, 152.1, 136.3, 133.4, 128.7, 128.2, 128.1, 126.2, 125.5, 121.6, 110.3, 66.0, 21.5, 14.7.



3m

Benzyl 5-ethyl-2-methylbenzofuran-3-carboxylate (3m)

41.8 mg (colorless oil, 71% yield); R_f = 0.40 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (s, 1H), 7.54-7.52 (m, 2H), 7.46-7.39 (m, 3H), 7.36 (d, *J* = 8.4 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 1H), 5.46 (s, 2H), 2.80 (s, 3H), 2.77 (q, *J* = 7.6 Hz, 2H), 1.30 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.4, 164.0, 152.2, 140.0, 136.3, 128.7, 128.2, 128.1, 126.3, 124.5, 120.4, 110.4, 108.7, 66.1, 28.9, 16.2, 14.7; HRMS (ESI) *m/z* calculated for $[C_{19}H_{18}O_3+H]^+$ $[M+H]^+$ 295.1329, found: 295.1328.

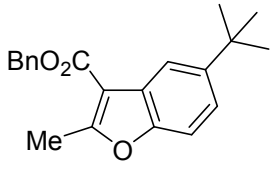


3n

Benzyl 2-methyl-5-propylbenzofuran-3-carboxylate (3n)

47.4 mg (white solid, 77% yield); m.p.: 44.2-45.0 °C; R_f = 0.36 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 1.2 Hz, 1H), 7.53 (d, *J* = 7.2 Hz, 2H), 7.47-7.35 (m, 4H), 7.12 (dd, *J* =

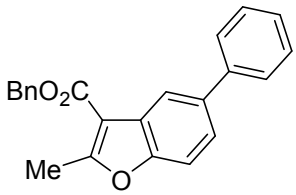
8.4 Hz, 1.7 Hz, 1H), 5.45 (s, 2H), 2.79 (s, 3H), 2.70 (t, *J* = 8.2 Hz, 2H), 1.73-1.65 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.4, 164.0, 152.2, 138.4, 136.3, 128.6, 128.2, 128.1, 126.2, 125.0, 121.1, 110.3, 108.7, 66.1, 38.0, 25.0, 14.7, 13.8; HRMS (ESI) *m/z* calculated for $[C_{20}H_{20}O_3\text{-}H]^-$ [M-H]$^-$ 307.1340, found: 307.1337.



3o

Benzyl 5-(tert-butyl)-2-methylbenzofuran-3-carboxylate (3o)

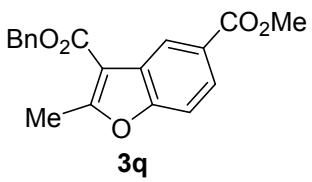
47.7 mg (white solid, 74% yield); m.p.: 94.6-97.9 °C; R_f = 0.33 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.55 (d, *J* = 7.0 Hz, 2H), 7.48-7.41 (m, 3H), 7.38-7.37 (m, 2H), 5.45 (s, 2H), 2.81 (s, 3H), 1.38 (s, 9H).; ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.5, 164.1, 151.9, 146.9, 136.1, 128.7, 128.3, 128.2, 125.8, 122.2, 118.1, 110.1, 108.8, 66.2, 34.9, 31.8, 14.6; HRMS (ESI) *m/z* calculated for $[C_{21}H_{22}O_3\text{-}H]^-$ [M-H]$^-$ 321.1496, found: 321.1494.



3p

Benzyl 2-methyl-5-phenylbenzofuran-3-carboxylate (3p)

56.1 mg (white solid, 82% yield); m.p.: 121.2-123.8 °C; R_f = 0.24 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (d, *J* = 1.6 Hz, 1H), 7.65-7.61 (m, 2H), 7.57-7.52 (m, 3H), 7.51 – -7.45 (m, 4H), 7.44-7.36 (m, 3H), 5.46 (s, 2H), 2.83 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.6, 164.2, 153.2, 141.3, 137.3, 136.1, 128.9, 128.8, 128.7, 128.3, 128.2, 127.4, 127.0, 126.7, 123.8, 120.4, 110.9, 109.0, 66.3, 14.7; HRMS (ESI) *m/z* calculated for $[C_{23}H_{18}O_3\text{-}H]^-$ [M-H]$^-$ 341.1183, found: 341.1182.

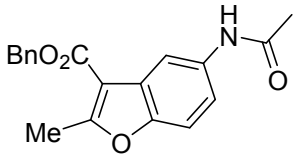


3q

3-Benzyl 5-methyl 2-methylbenzofuran-3,5-dicarboxylate (3q)

42.8 mg (white solid, 66% yield); m.p.: 124.4-125.3 °C; R_f = 0.27 (PE/EtOAc = 10:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (d, *J* = 1.5 Hz, 1H), 8.03 (dd, *J* = 8.6 Hz, 1.8 Hz, 1H), 7.53 (d, *J* = 7.1

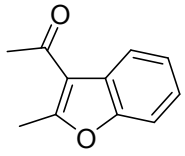
Hz, 2H), 7.47-7.39 (m, 4H), 5.45 (s, 2H), 3.94 (s, 3H), 2.81 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.1, 165.2, 163.7, 156.0, 135.9, 128.7, 128.3, 128.2, 126.3, 126.2, 126.2, 124.1, 110.8, 109.3, 66.4, 52.2, 14.6; HRMS (ESI) *m/z* calculated for $[C_{19}H_{16}O_5\text{-}H]^-$ [M-H]$^-$ 323.0925, found: 323.0921.



3r

Benzyl 5-acetamido-2-methylbenzofuran-3-carboxylate (3r)

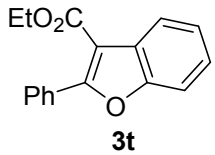
38.8 mg (white solid, 60% yield); m.p.: 189.0-190.1 °C; R_f = 0.33 (PE/EtOAc = 1:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, *J* = 1.9 Hz, 1H), 7.59 (dd, *J* = 8.8 Hz, 2.1 Hz, 1H), 7.51-7.40 (m, 5H), 7.39-7.33 (m, 2H), 5.41 (s, 2H), 2.76 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.5, 164.8, 164.1, 150.6, 136.1, 134.1, 128.7, 128.2, 128.1, 126.5, 118.1, 113.4, 110.9, 108.9, 66.1, 24.5, 14.7; HRMS (ESI) *m/z* calculated for $[C_{19}H_{17}NO_4\text{-}H]^-$ [M-H]$^-$ 322.1085, found: 322.1081.



3s

1-(2-Methylbenzofuran-3-yl)ethan-1-one (3s)[4]

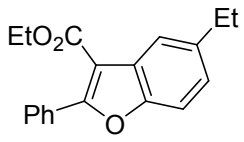
16.4 mg (colorless oil, 47% yield); R_f = 0.45 (PE/EtOAc = 10:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97-7.95 (m, 1H), 7.48-7.46 (m, 1H), 7.36-7.31 (m, 2H), 2.80 (s, 3H), 2.66 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.3, 162.8, 153.5, 126.1, 124.4, 124.0, 121.4, 117.6, 110.0, 31.2, 15.4.



3t

Ethyl 2-phenylbenzofuran-3-carboxylate (3t)[3]

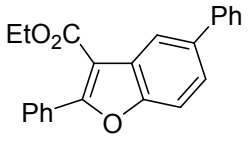
30.3 mg (white solid, 57% yield); m.p.: 88.8-90.7 °C; R_f = 0.31 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16-8.01 (m, 3H), 7.59-7.48 (m, 4H), 7.44-7.34 (m, 2H), 4.44 (q, *J* = 7.1 Hz, 2H), 1.44 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.0, 160.8, 153.8, 130.3, 129.6, 129.5, 128.1, 127.2, 125.2, 124.0, 122.7, 111.2, 109.0, 60.7, 14.3.



3u

Ethyl 5-ethyl-2-phenylbenzofuran-3-carboxylate (3u)

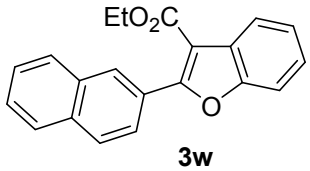
27.1 mg (colorless oil, 46% yield); R_f = 0.33 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09-7.99 (m, 2H), 7.92 (d, *J* = 1.1 Hz, 1H), 7.56-7.44 (m, 4H), 7.23 (dd, *J* = 8.4 Hz, 1.8 Hz, 1H), 4.44 (q, *J* = 7.1 Hz, 2H), 2.82 (q, *J* = 7.6 Hz, 2H), 1.44 (t, *J* = 7.1 Hz, 3H), 1.35 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.2, 160.8, 152.4, 140.2, 130.1, 129.8, 129.5, 128.1, 128.0, 127.2, 125.5, 121.2, 110.8, 108.8, 60.6, 29.1, 16.3, 14.3; HRMS (ESI) *m/z* calculated for $[C_{19}H_{18}O_3+H]^+$ $[M+H]^+$ 295.1329, found: 295.1325.



3v

Ethyl 2,5-diphenylbenzofuran-3-carboxylate (3v)

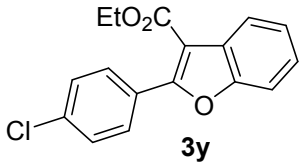
23.9 mg (white solid, 35% yield); m.p.: 108.4-111.3 °C; R_f = 0.27 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 8.08 (dd, *J* = 6.6 Hz, 3.2 Hz, 2H), 7.74-7.67 (m, 2H), 7.62 (d, *J* = 0.9 Hz, 2H), 7.56-7.48 (m, 5H), 7.43-7.39 (m, 1H), 4.47 (q, *J* = 7.1 Hz, 2H), 1.45 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.0, 161.3, 153.4, 141.5, 137.7, 130.4, 129.6, 129.6, 128.8, 128.1, 127.5, 127.1, 124.9, 121.2, 111.3, 109.1, 60.7, 14.3; HRMS (ESI) *m/z* calculated for $[C_{23}H_{18}O_3+H]^+$ $[M+H]^+$ 343.1329, found: 243.1324.



3w

Ethyl 2-(naphthalen-2-yl)benzofuran-3-carboxylate (3w)

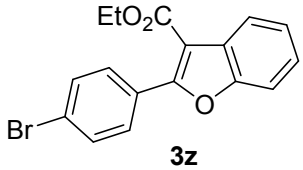
22.8 mg (colorless oil, 36% yield); R_f = 0.31 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (s, 1H), 8.16-8.09 (m, 2H), 8.00-7.91 (m, 3H), 7.62-7.56 (m, 3H), 7.45-7.38 (m, 2H), 4.47 (q, *J* = 7.1 Hz, 2H), 1.45 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.1, 160.7, 153.9, 134.0, 132.7, 129.9, 128.9, 127.7, 127.6, 127.4, 127.3, 127.0, 126.5, 126.2, 125.3, 124.1, 122.8, 111.2, 109.2, 60.7, 14.4; HRMS (ESI) *m/z* calculated for $[C_{21}H_{16}O_3+H]^+$ $[M+H]^+$ 317.1172, found: 317.1169.



3y

Ethyl 2-(4-chlorophenyl)benzofuran-3-carboxylate (3y)

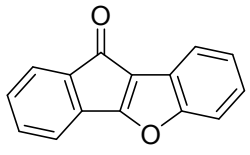
27.0 mg (white solid, 45% yield); m.p.: 87.8-89.4 °C; R_f = 0.44 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13-8.07 (m, 1H), 8.05 (d, *J* = 8.7 Hz, 2H), 7.57-7.54 (m, 1H), 7.49 (d, *J* = 8.7 Hz, 2H), 7.44-7.35 (m, 2H), 4.45 (q, *J* = 7.1 Hz, 2H), 1.46 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.9, 159.4, 153.7, 136.4, 130.8, 128.4, 128.0, 127.0, 125.5, 124.2, 122.8, 111.2, 109.3, 60.8, 14.3; HRMS (ESI) *m/z* calculated for $[C_{17}H_{13}O_3Cl^{35}+H]^+$ $[M+H]^+$ 301.0626, found: 301.0622; calculated for $[C_{17}H_{13}O_3Cl^{37}+H]^+$ $[M+H]^+$ 303.0597, found: 301.0593.



3z

Ethyl 2-(4-bromophenyl)benzofuran-3-carboxylate (3z)

35.1 mg (white solid, 51% yield); m.p.: 82.5-83.7 °C; R_f = 0.40 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12-8.06 (m, 1H), 7.98 (d, *J* = 8.7 Hz, 2H), 7.65 (d, *J* = 8.6 Hz, 2H), 7.57-7.54 (m, 1H), 7.43-7.35 (m, 2H), 4.45 (q, *J* = 7.1 Hz, 2H), 1.46 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.9, 159.4, 153.8, 131.4, 131.0, 128.5, 127.0, 125.5, 124.8, 124.2, 122.8, 111.2, 109.4, 60.8, 14.3; HRMS (ESI) *m/z* calculated for $[C_{17}H_{13}O_3Br^{79}+H]^+$ $[M+H]^+$ 345.0121, found: 345.0115; calculated for $[C_{17}H_{13}O_3Br^{81}+H]^+$ $[M+H]^+$ 347.0101, found: 347.0095.



3aa

10*H*-Indeno[1,2-*b*]benzofuran-10-one (3aa)[5]

16.7 mg (orange solid, 38% yield); m.p.: 159.0-161.6 °C; R_f = 0.35 (PE/EtOAc = 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77-7.75 (m, 1H), 7.56-7.51 (m, 2H), 7.40-7.27 (m, 5H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 185.0, 178.4, 160.8, 138.7, 133.6, 132.9, 130.4, 125.3, 125.1, 123.6, 122.2, 121.0, 118.5, 118.3, 112.6; HRMS (ESI) *m/z* calculated for $[C_{15}H_8O_2+H]^+$ $[M+H]^+$ 221.0597, found: 221.0594.

References

[1] Q. Sha, H. Arman and M. P. Doyle, *Chem. Commun*., 2016, **52**, 108.

[2] K. Schank, R. Lieder, C. Lick and R. Glock, *Helv. Chim. Acta*., 2004, **87**, 869.

[3] P. Sun, S. Gao, C. Yang, S. J. Guo, A. J. Lin and H. Q. Yao, *Org. Lett.*, 2016, **18**, 6464.

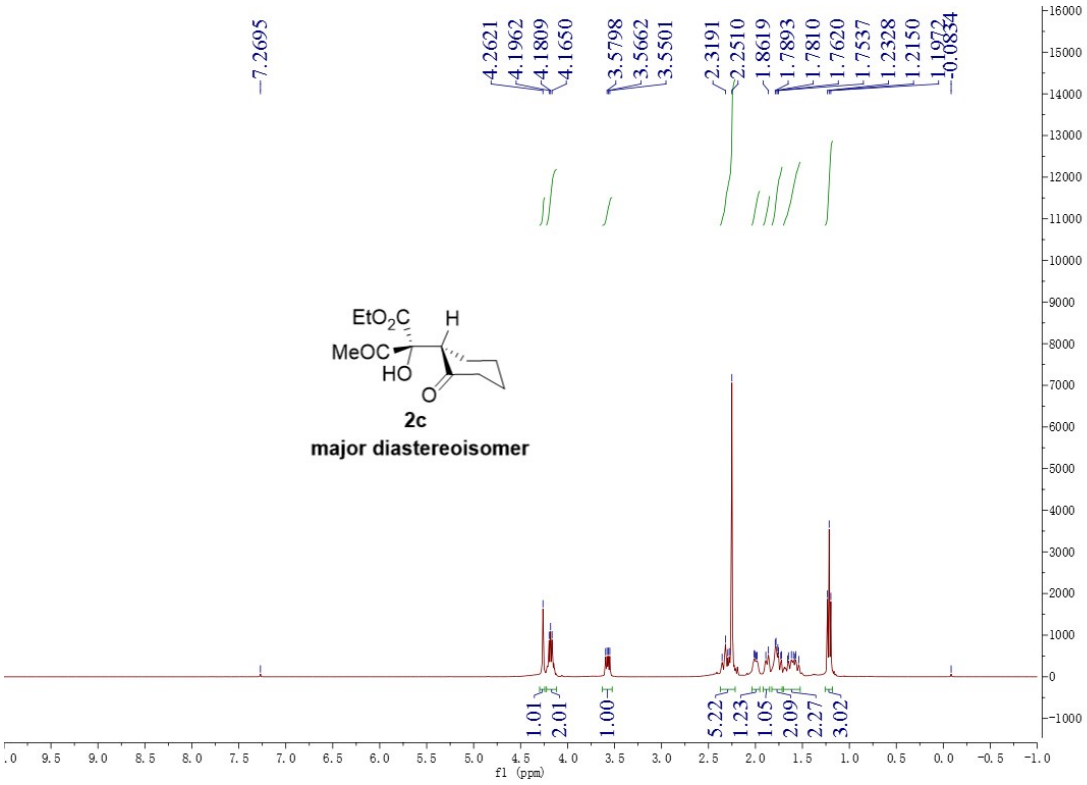
[4] X. C. Huang, Y. L. Liu, Y. Liang, S. F. Pi, F. Wang and J. H. Li, *Org. Lett.,* 2008, **10**, 1525.

[5] J. Guillaumel, N. Boccara and P. Demerseman, *J. Heterocyclic. Chem.,* 1990, **27**, 1047.

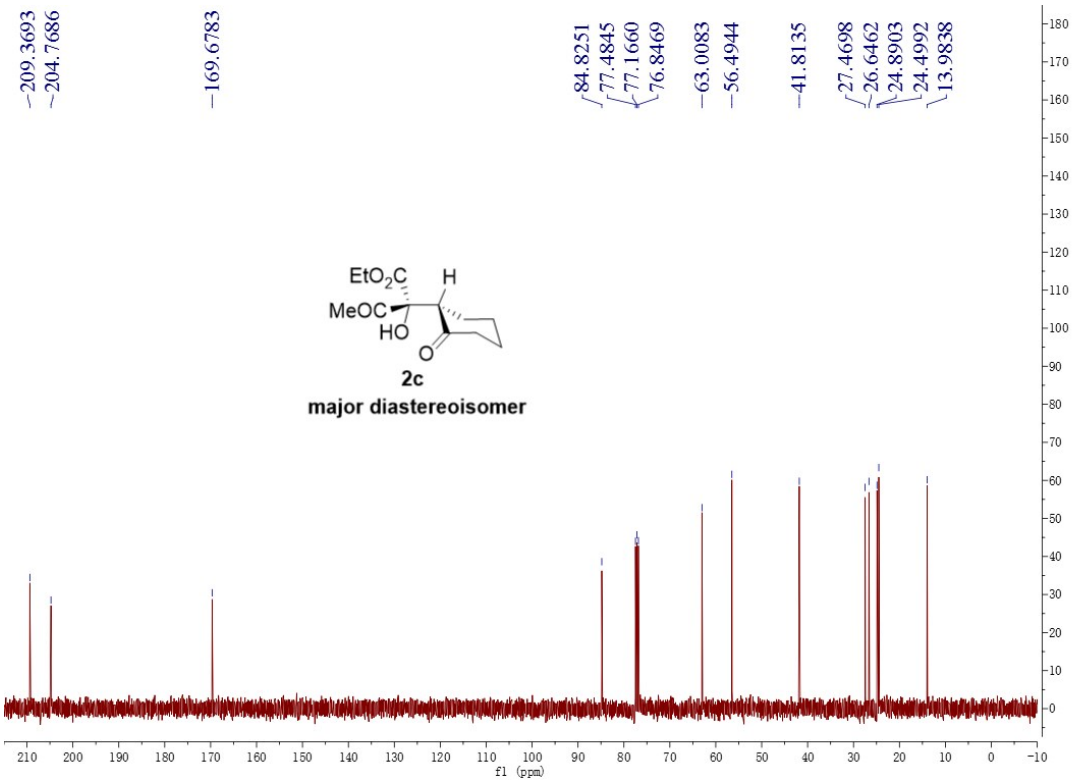
^{1}H NMR and ^{13}C NMR spectra of products 2 and 3

Ethyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2c, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

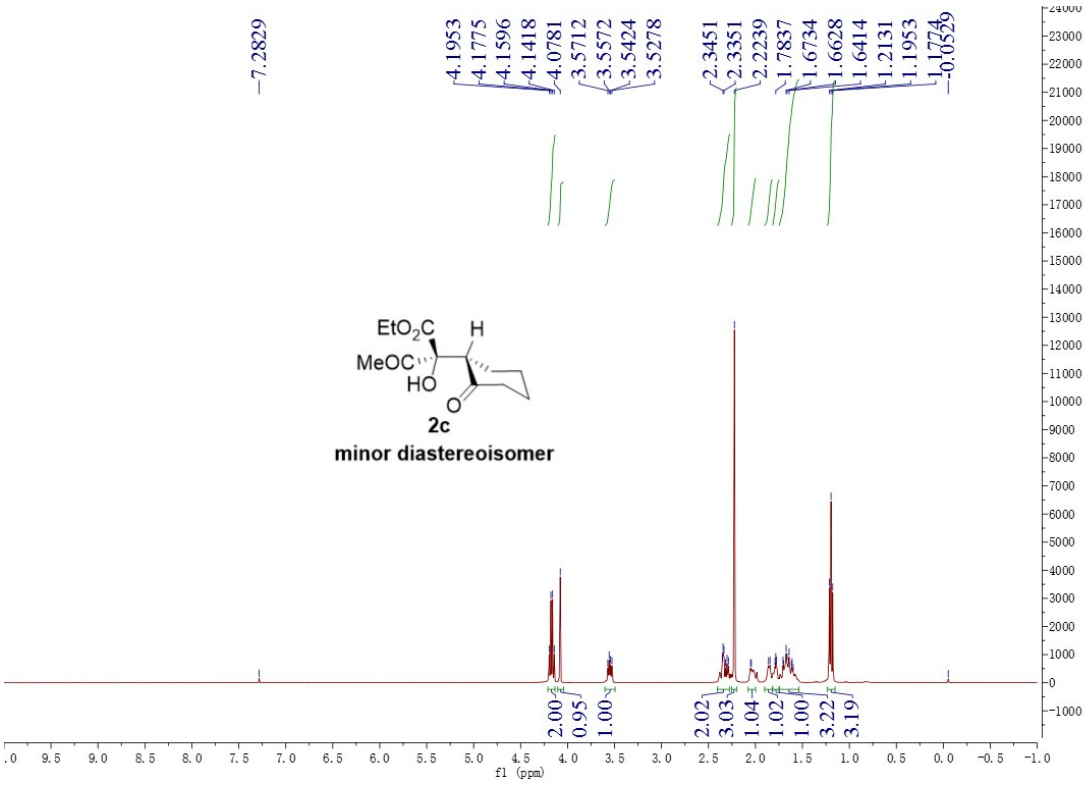


^{13}C NMR (101 MHz, $CDCl_3$)

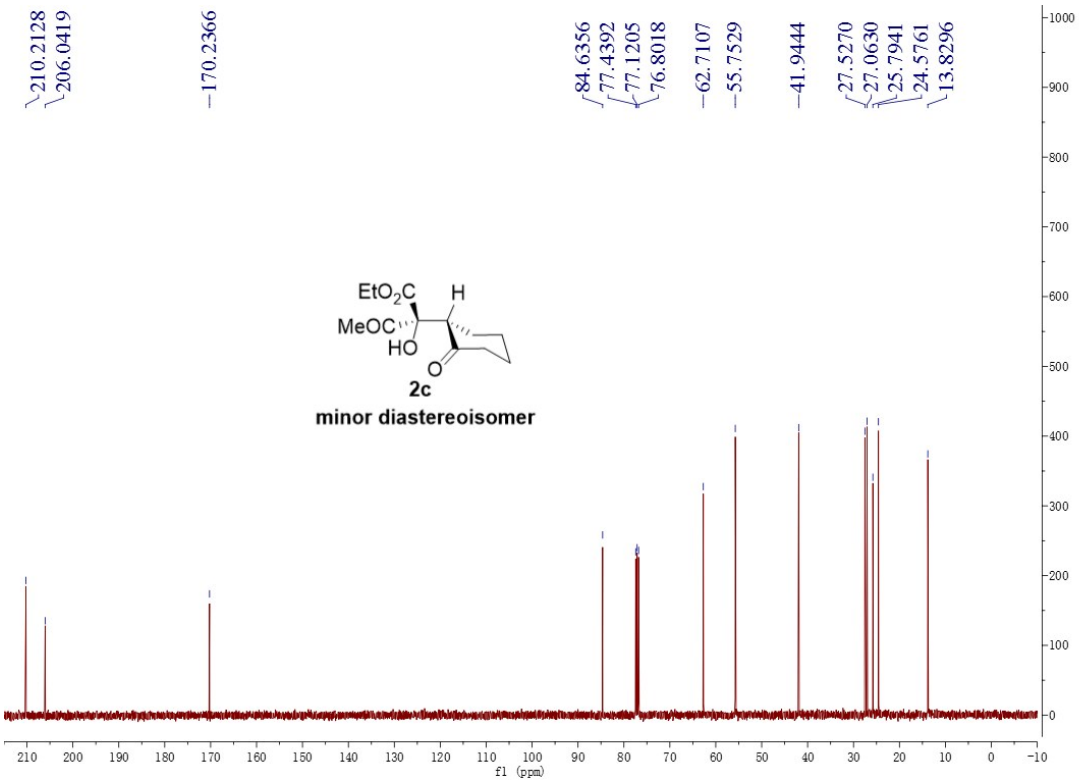


Ethyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2c, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

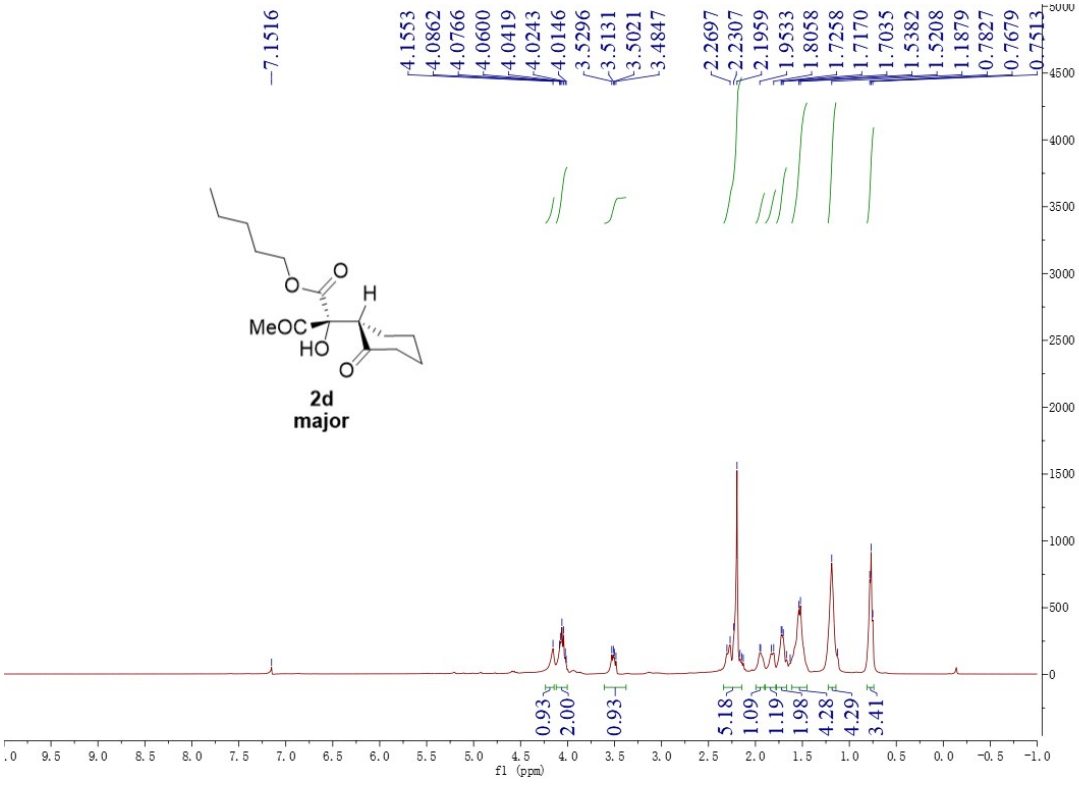


^{13}C NMR (101 MHz, $CDCl_3$)

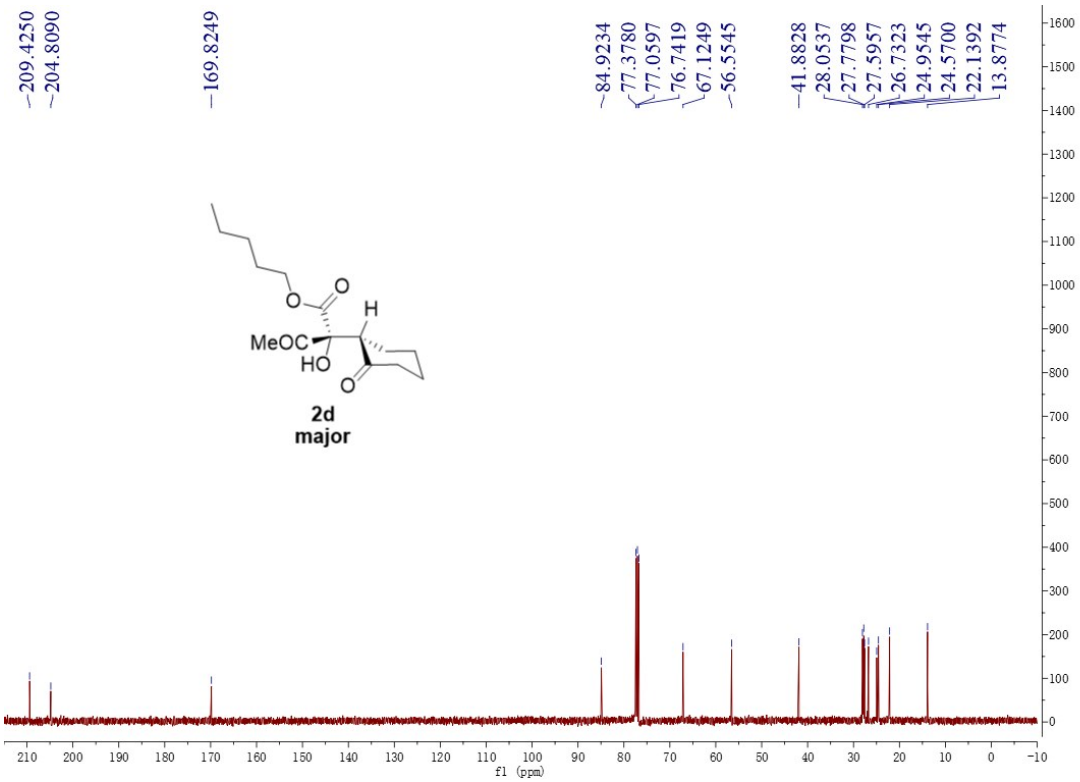


Pentyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2d, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

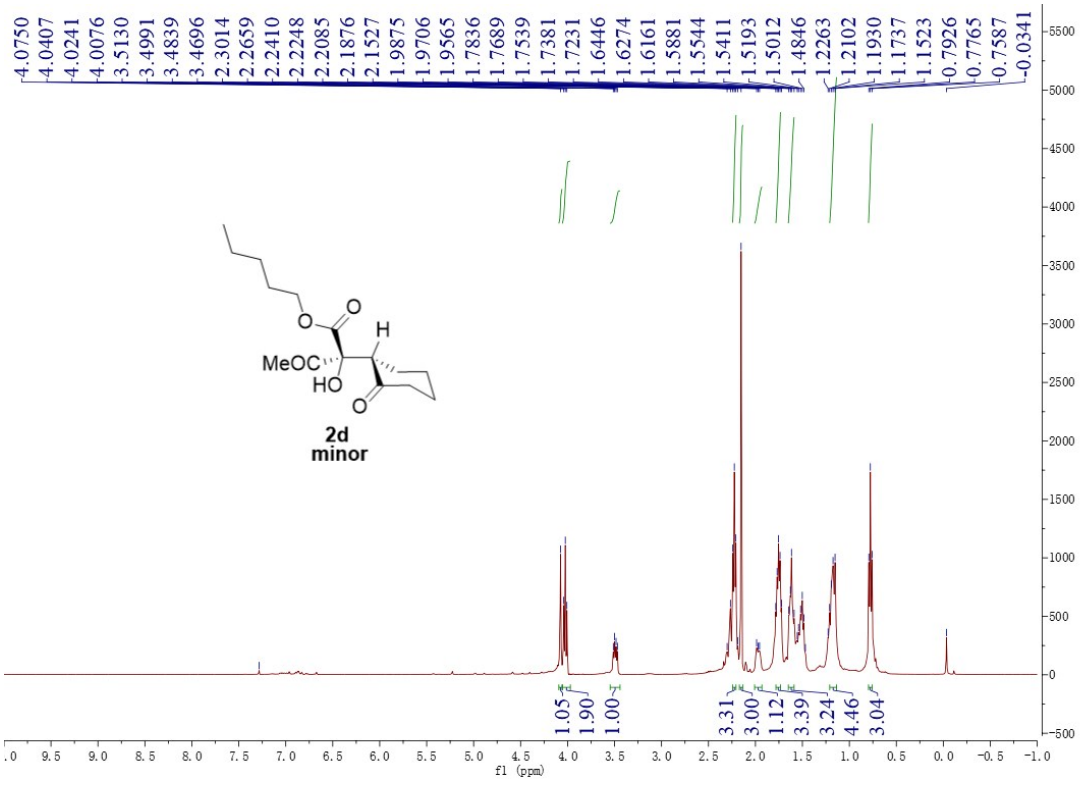


^{13}C NMR (101 MHz, $CDCl_3$)

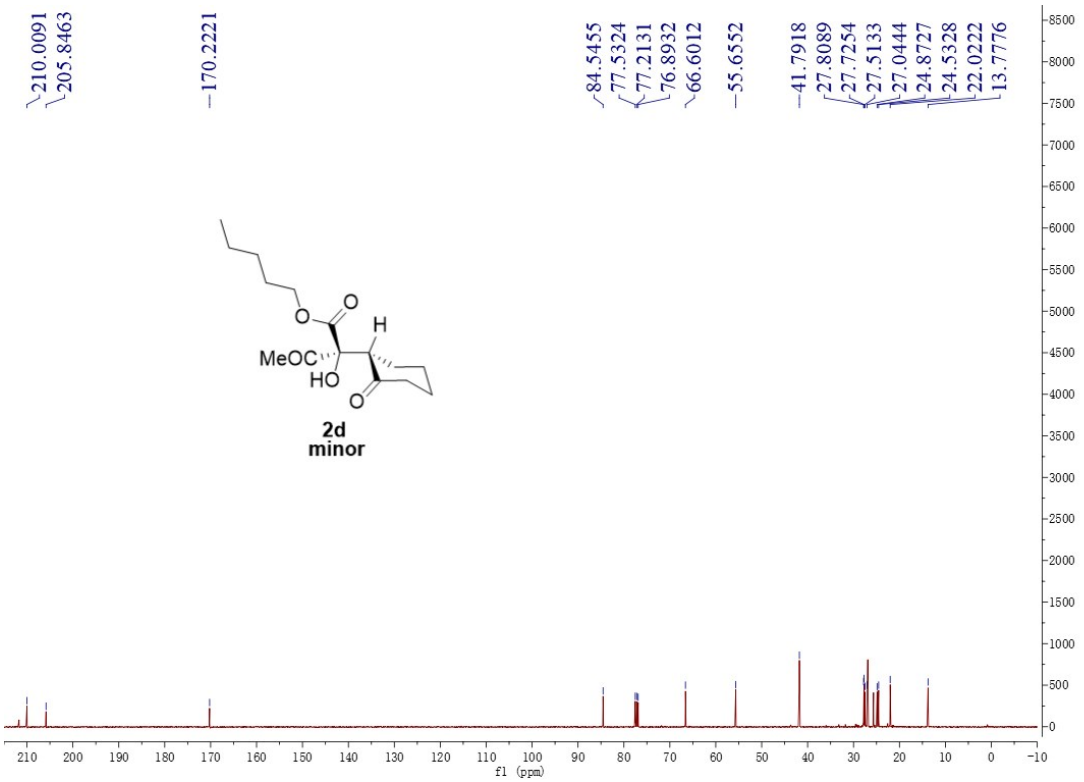


Pentyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2d, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

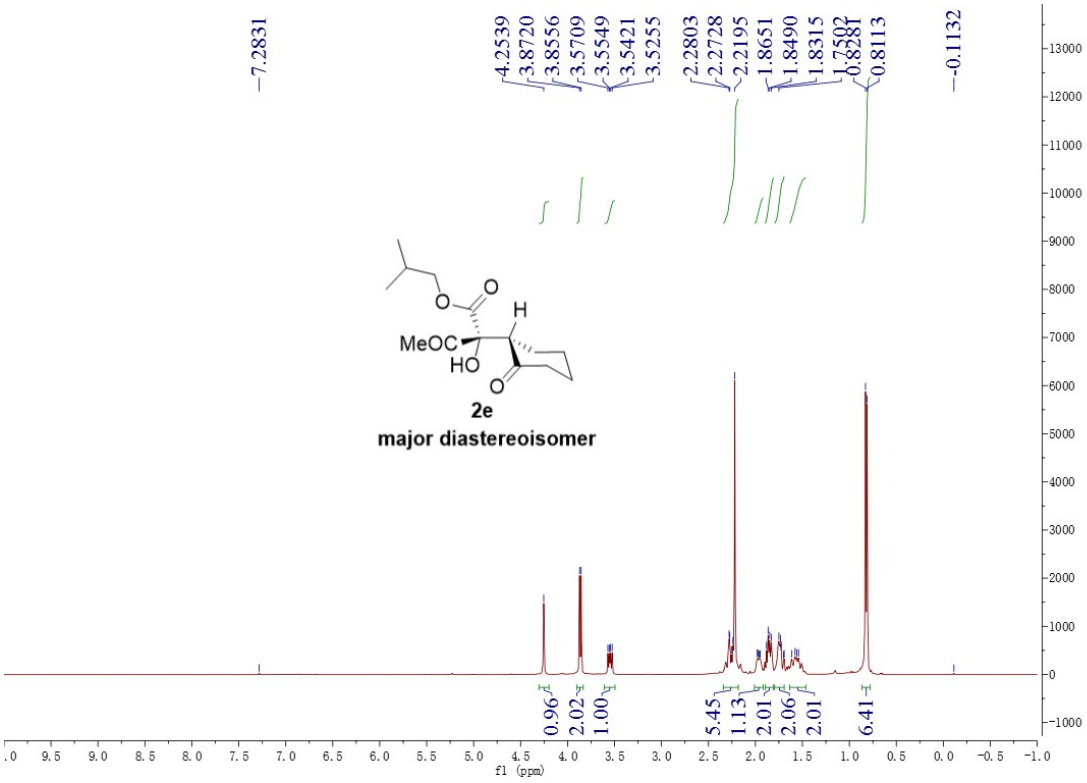


^{13}C NMR (101 MHz, $CDCl_3$)

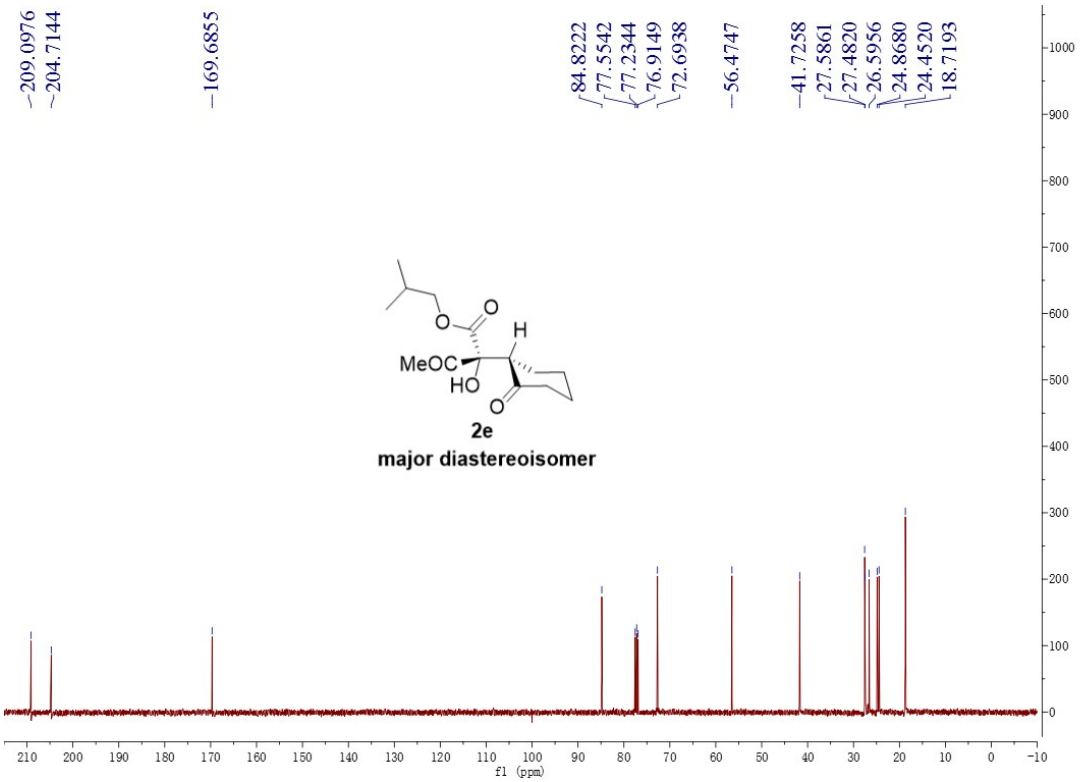


Isobutyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2e, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

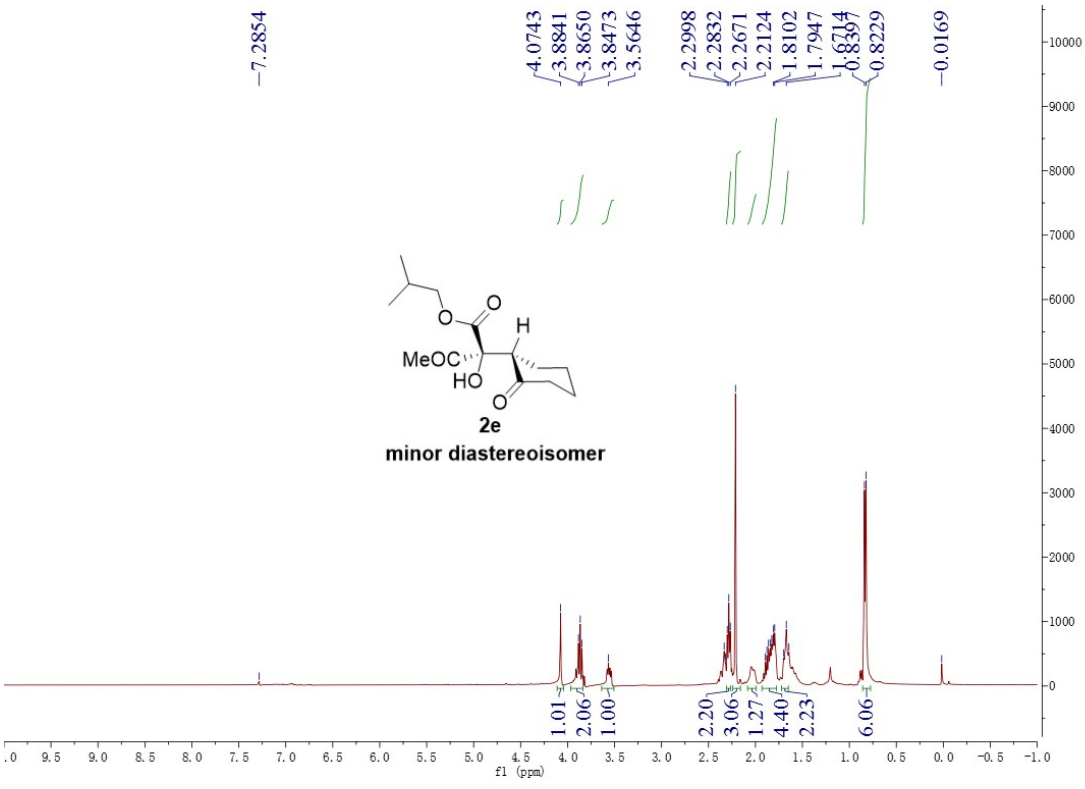


^{13}C NMR (101 MHz, $CDCl_3$)

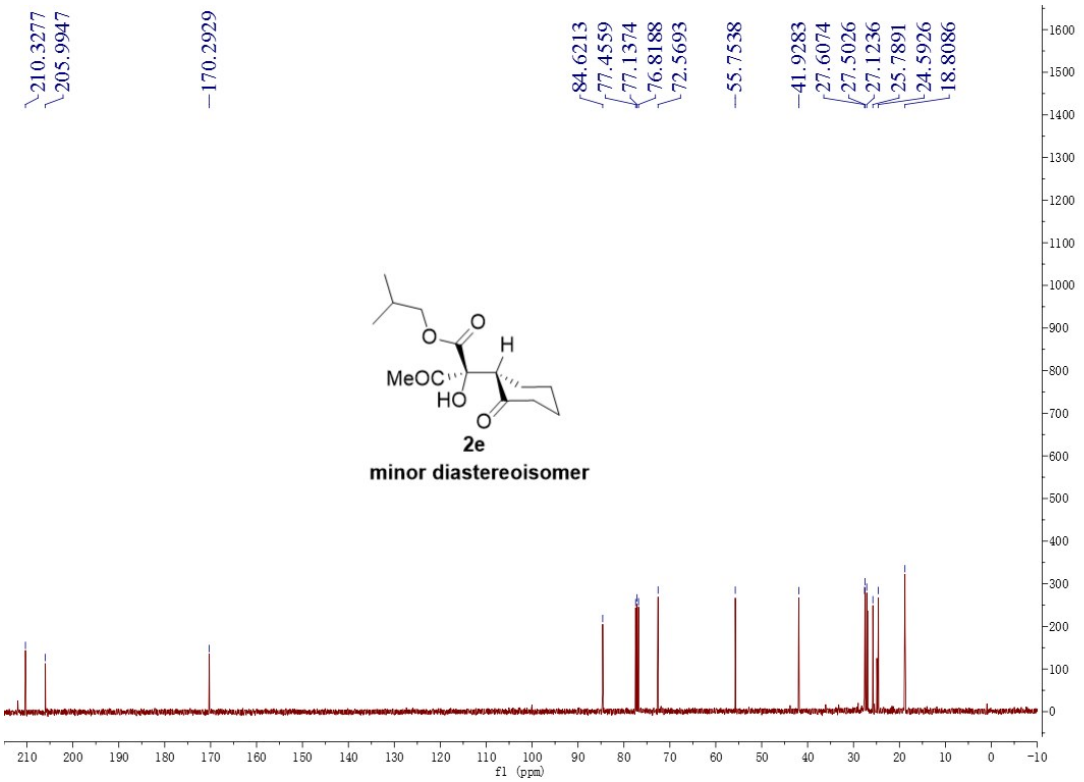


Isobutyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2e, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

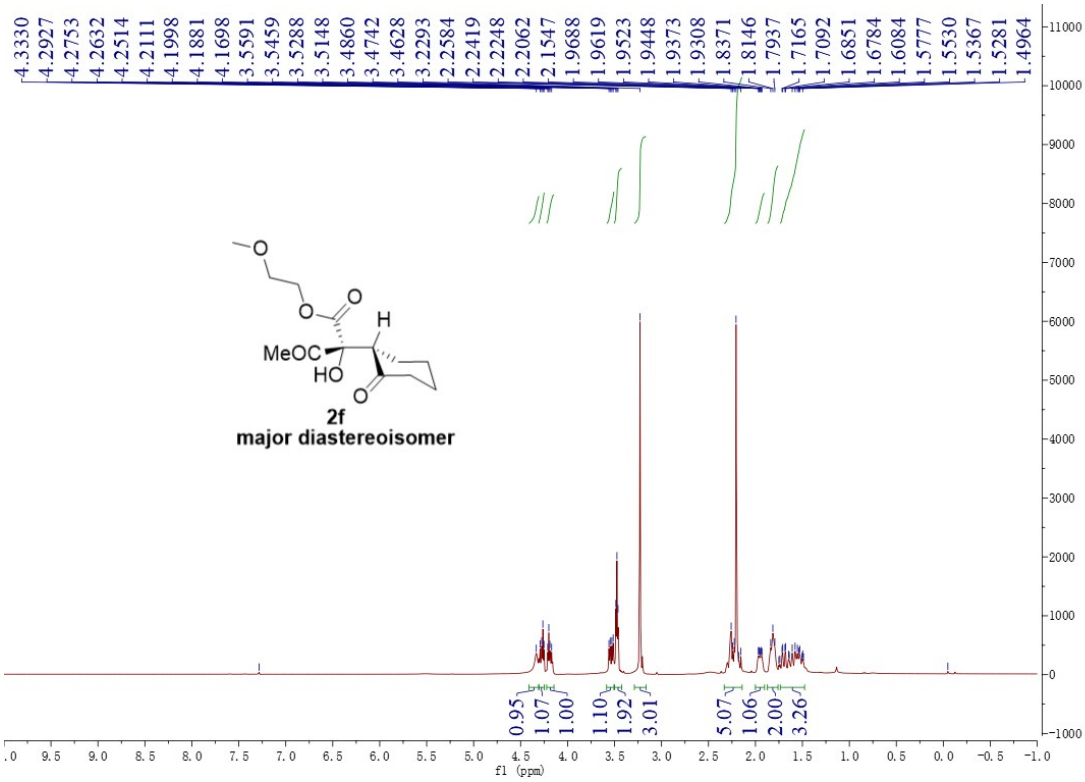


^{13}C NMR (101 MHz, $CDCl_3$)

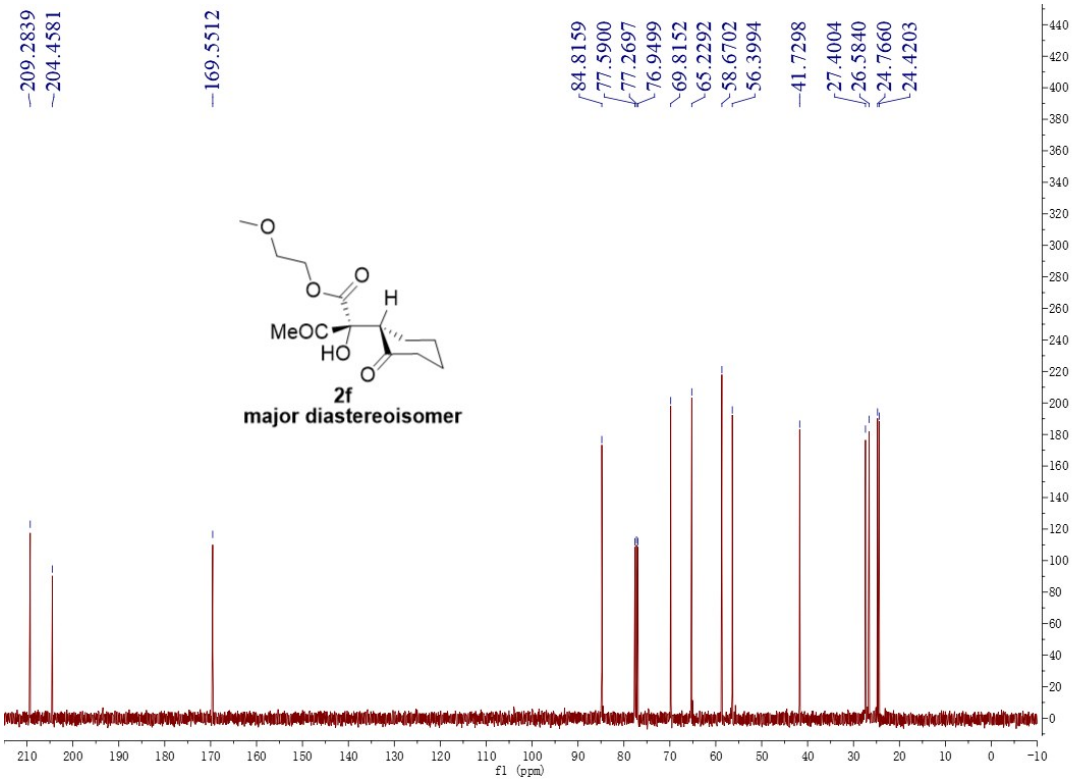


2-Methoxyethyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2f, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

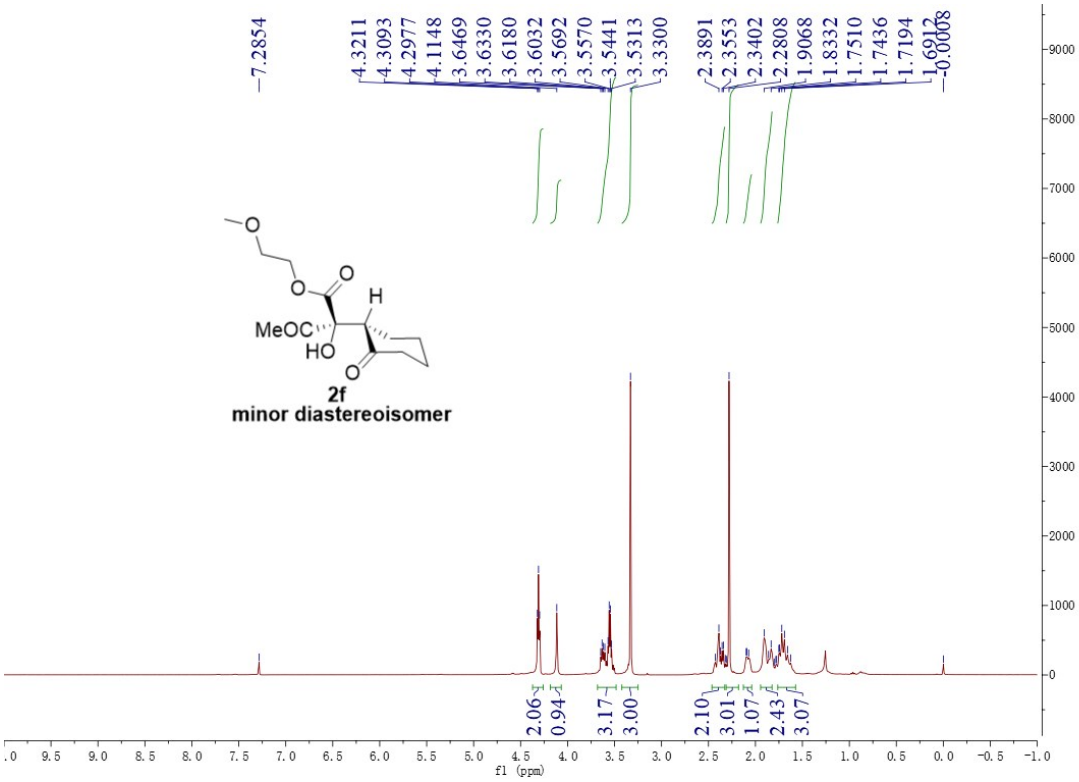


^{13}C NMR (101 MHz, $CDCl_3$)

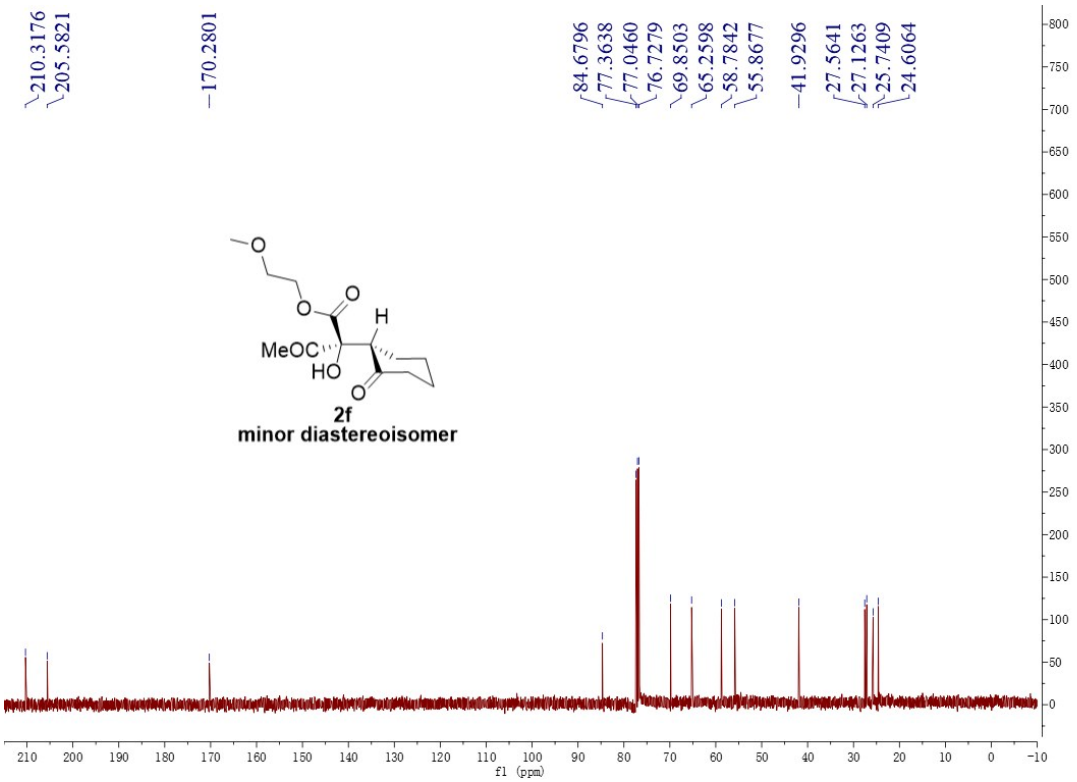


2-Methoxyethyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)butanoate (2f, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

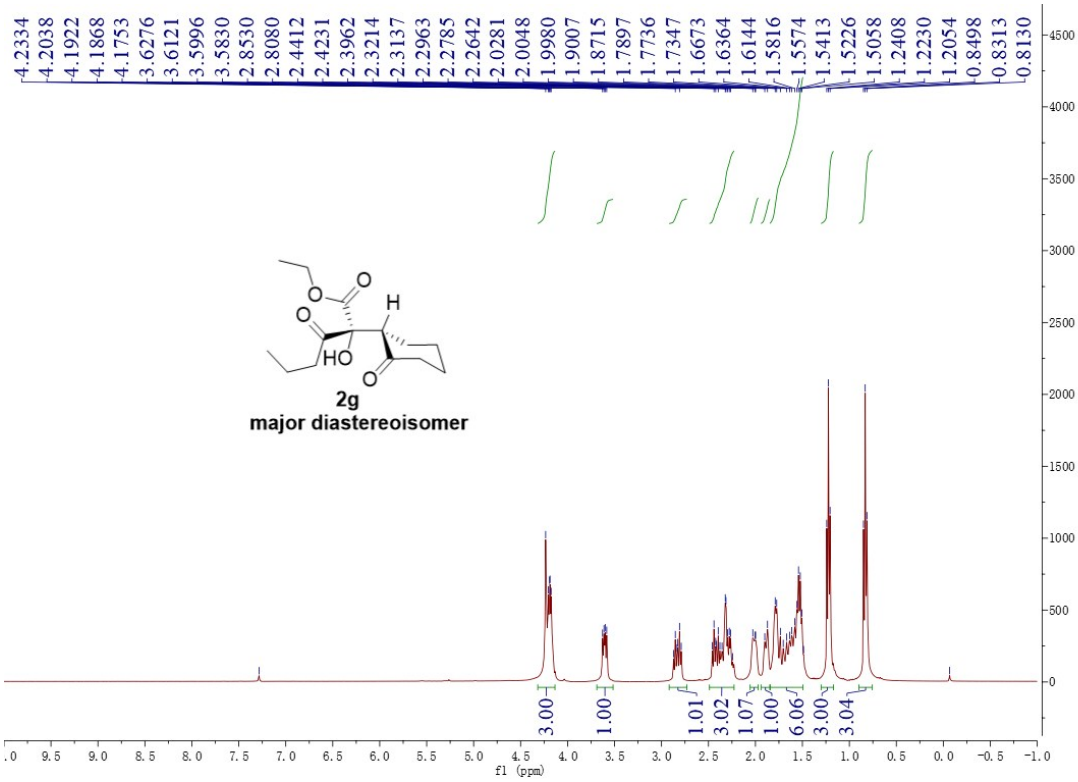


^{13}C NMR (101 MHz, $CDCl_3$)

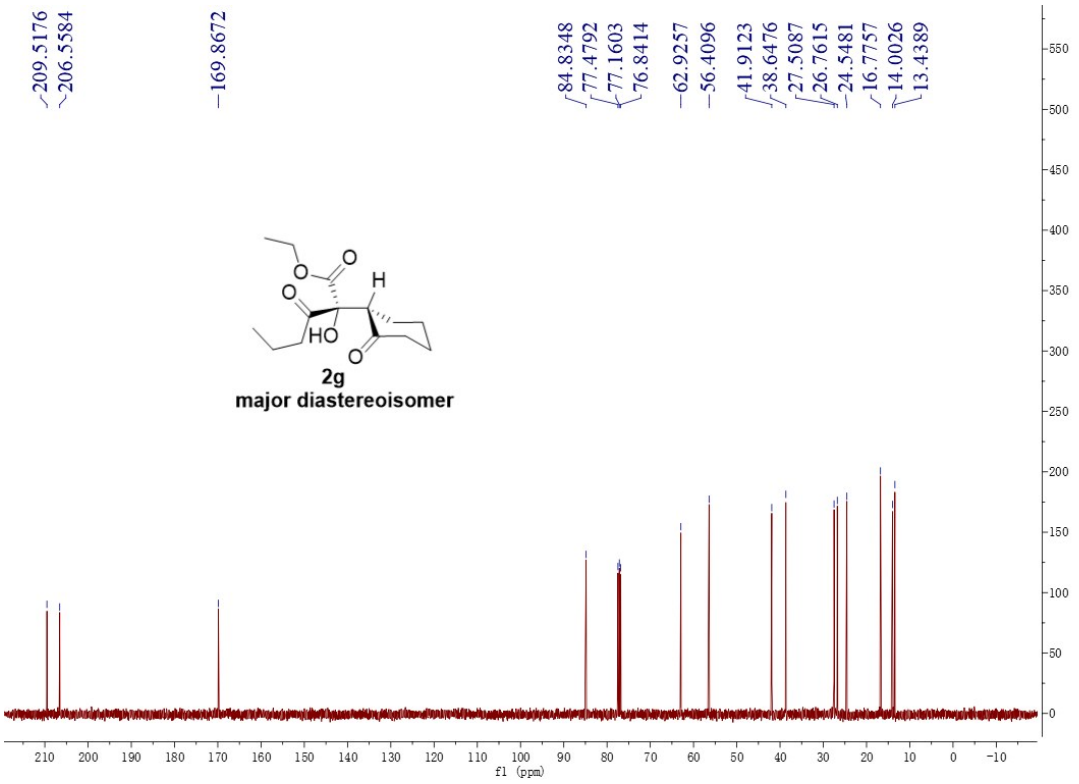


Ethyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)hexanoate (2g, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

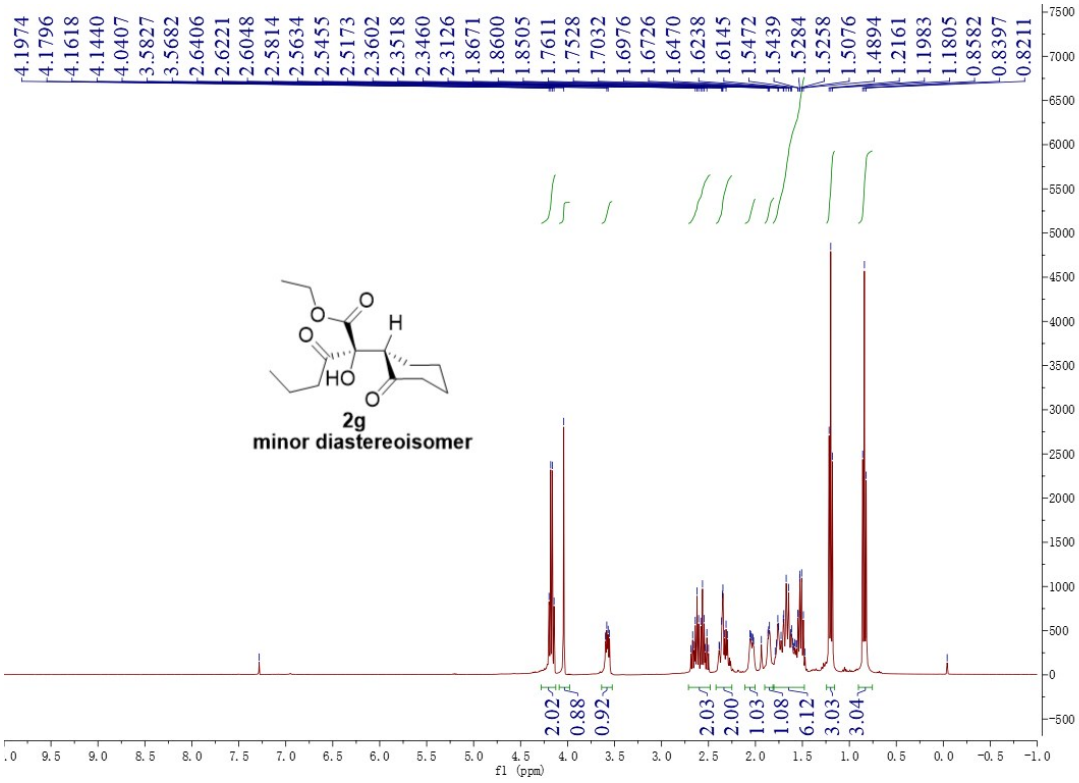


^{13}C NMR (101 MHz, $CDCl_3$)

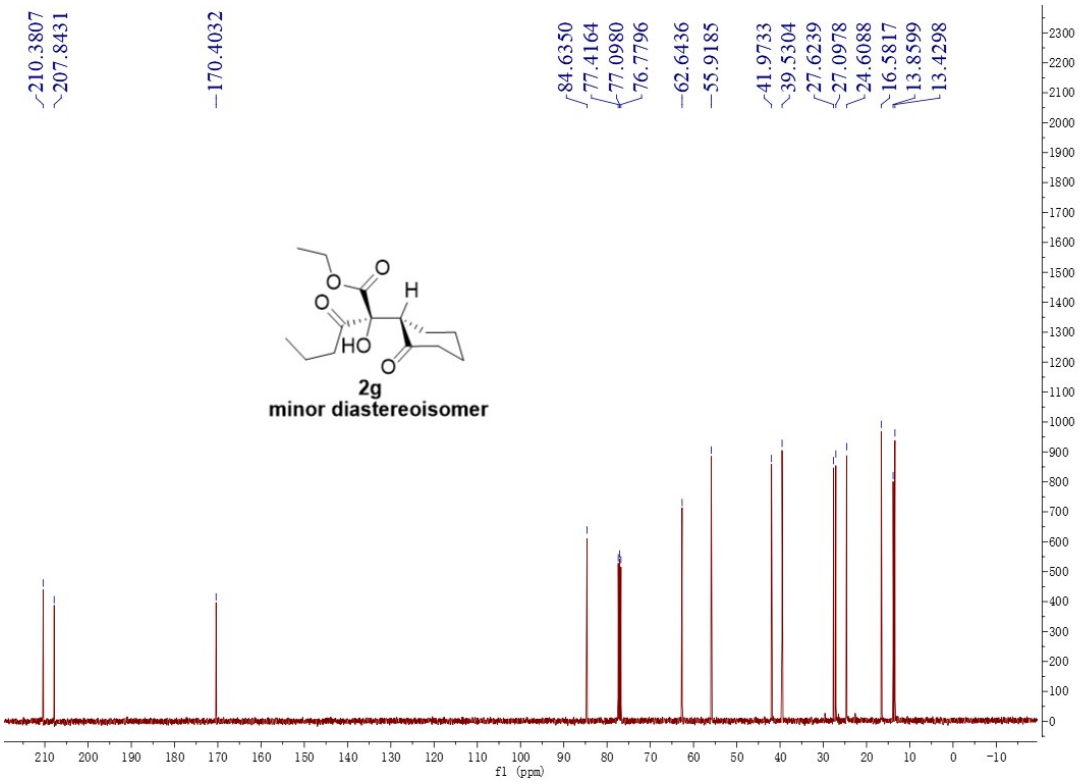


Ethyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)hexanoate (2g, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

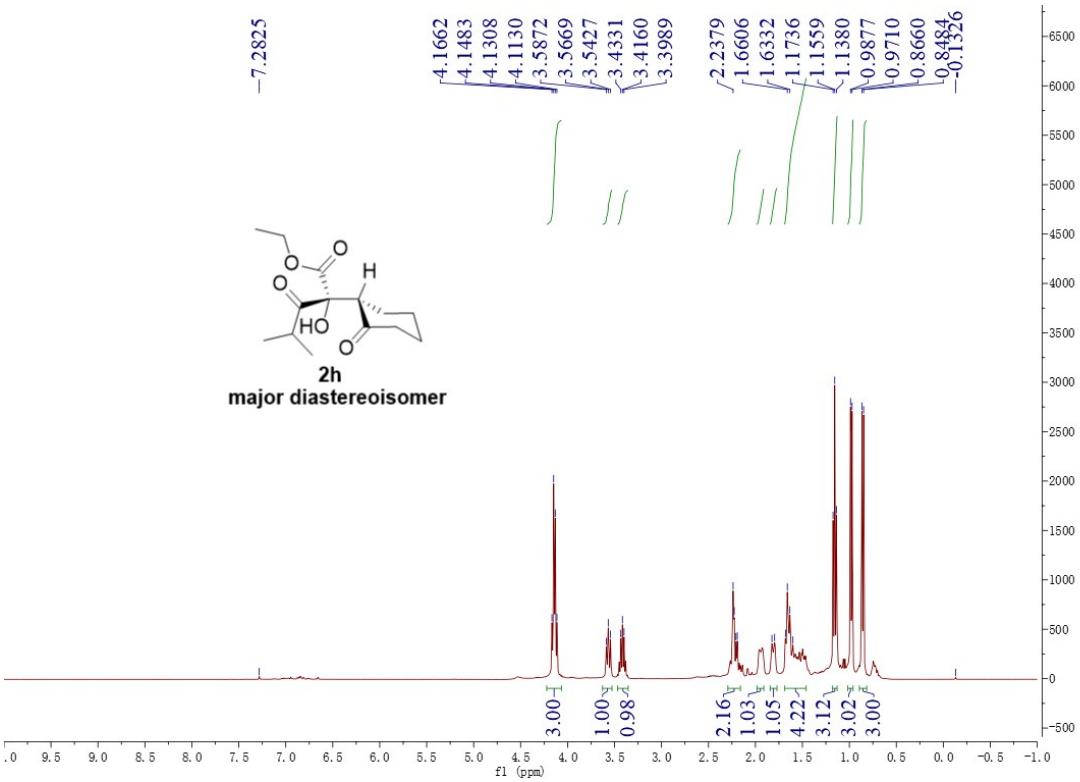


^{13}C NMR (101 MHz, $CDCl_3$)

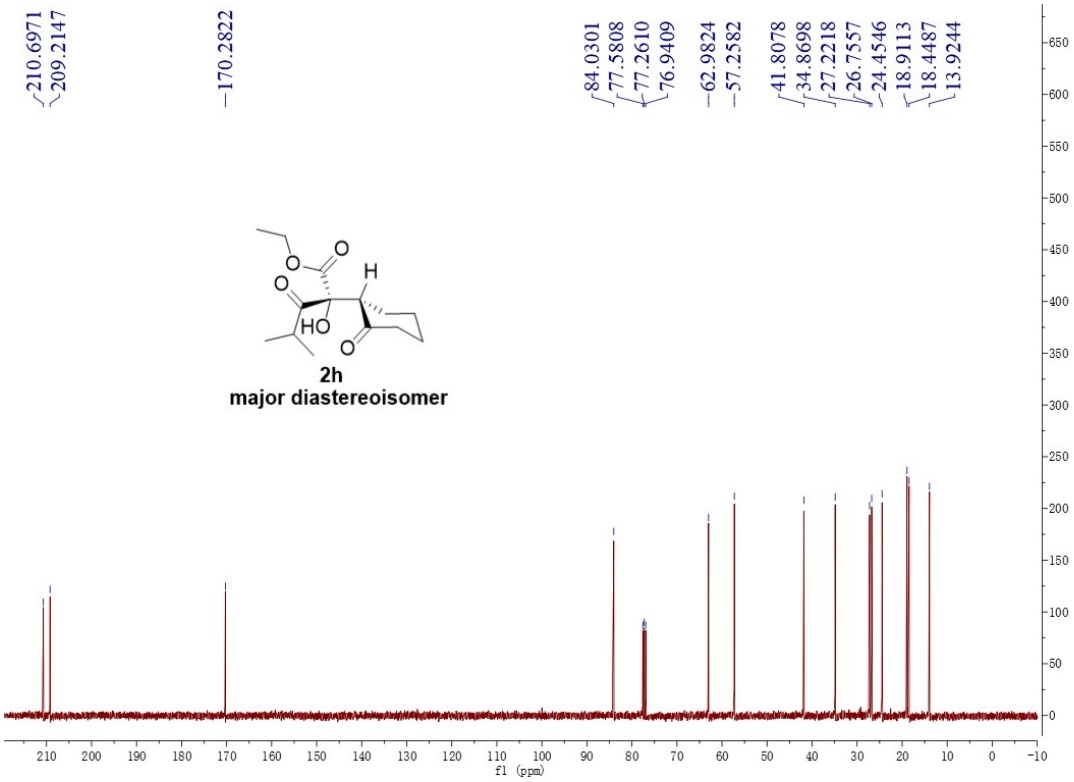


Ethyl (*R*)-2-hydroxy-4-methyl-3-oxo-2-((*S*)-2-oxocyclohexyl)pentanoate (2h, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

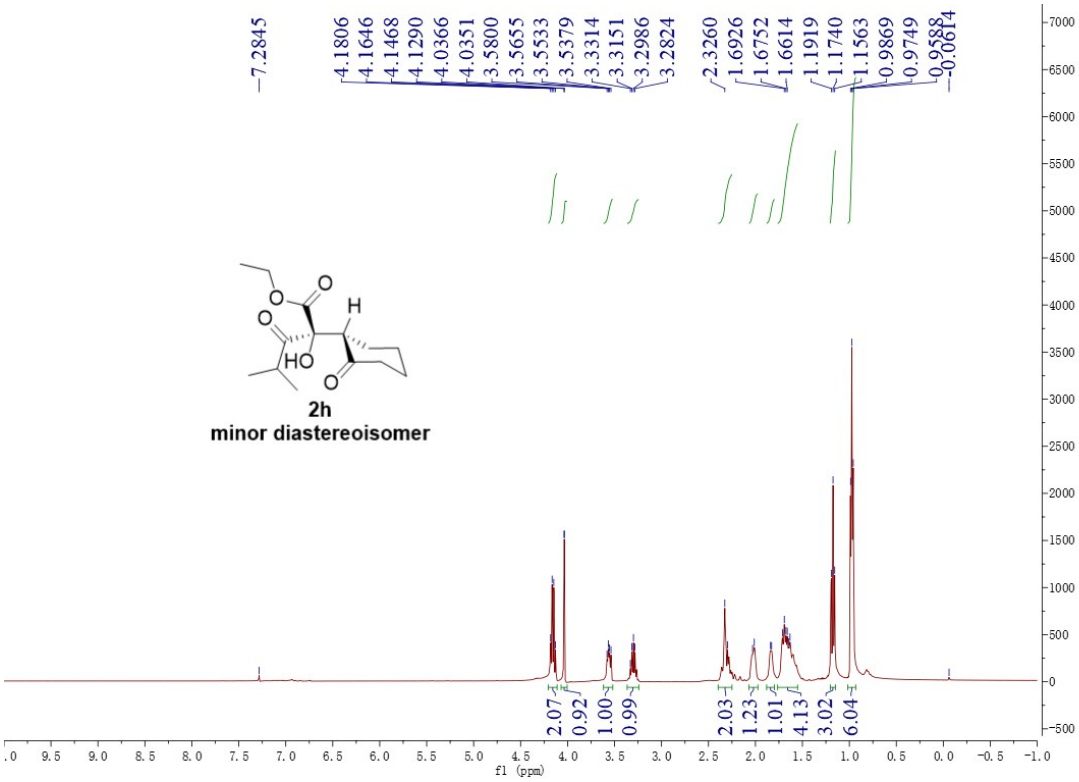


^{13}C NMR (101 MHz, $CDCl_3$)

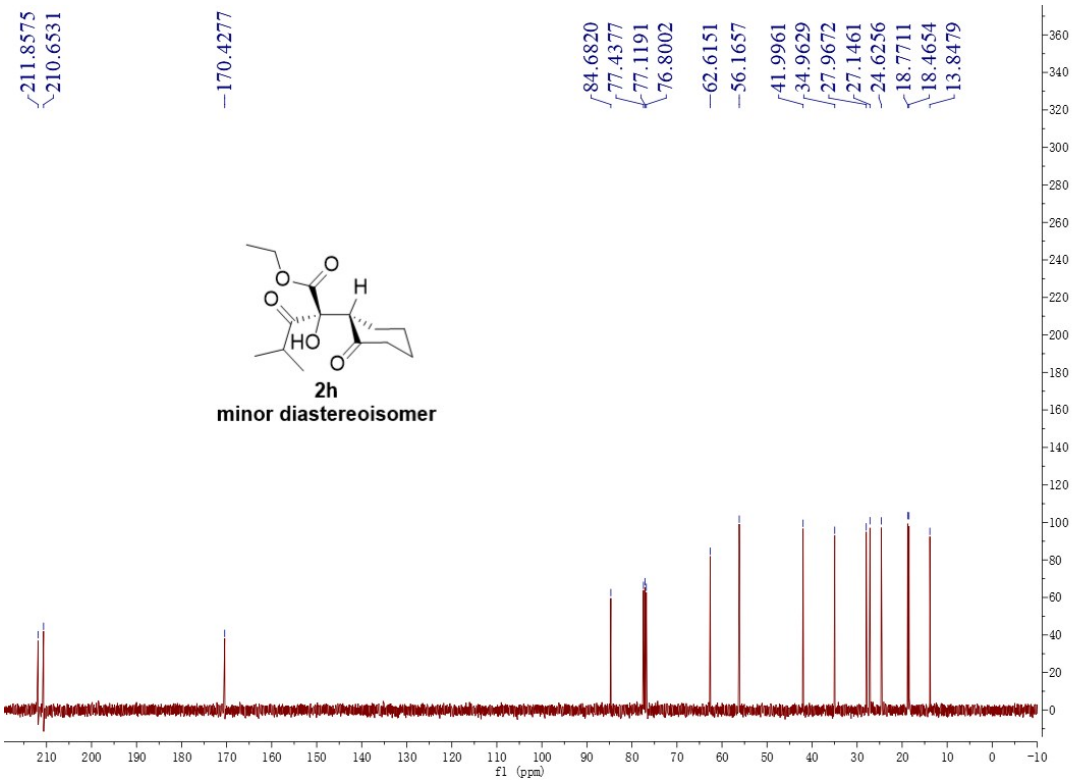


Ethyl (*S*)-2-hydroxy-4-methyl-3-oxo-2-((*S*)-2-oxocyclohexyl)pentanoate (2h, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

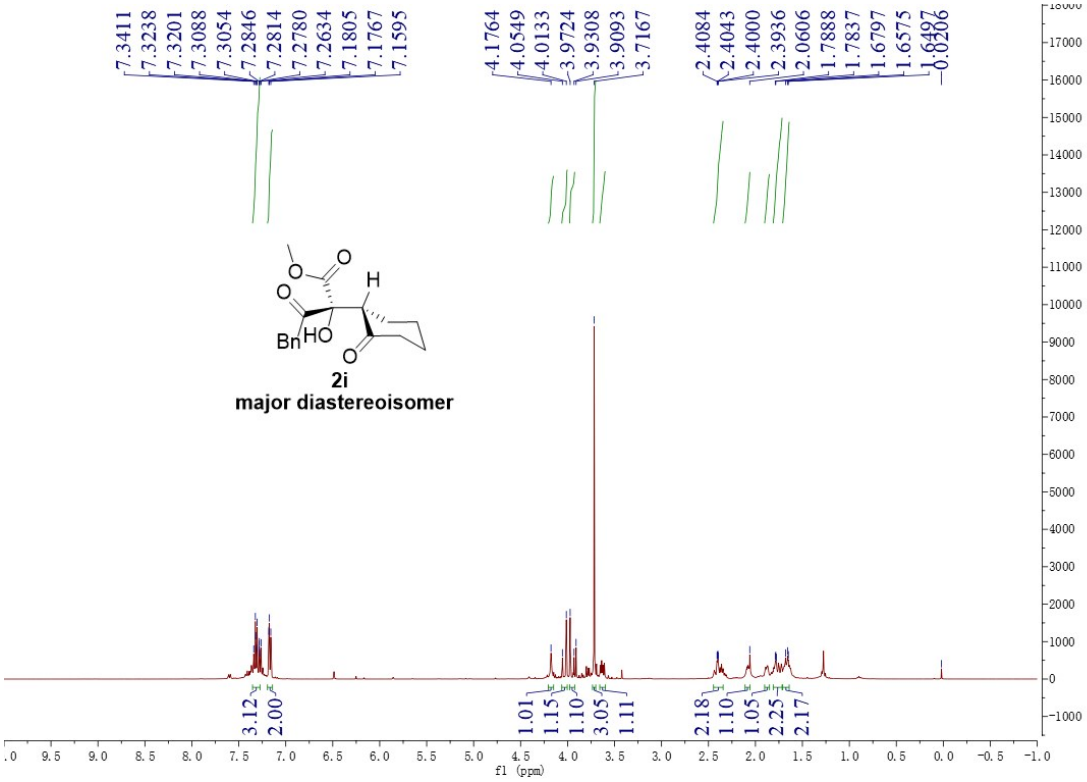


^{13}C NMR (101 MHz, $CDCl_3$)

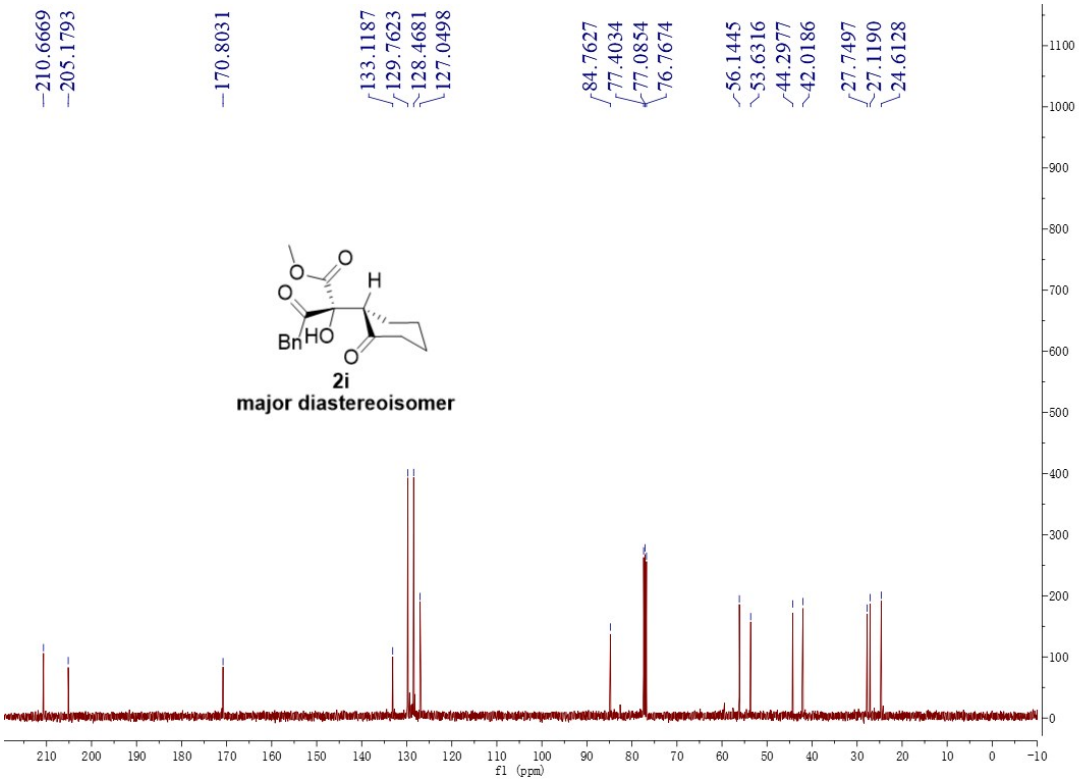


Methyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)-4-phenylbutanoate (2i, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

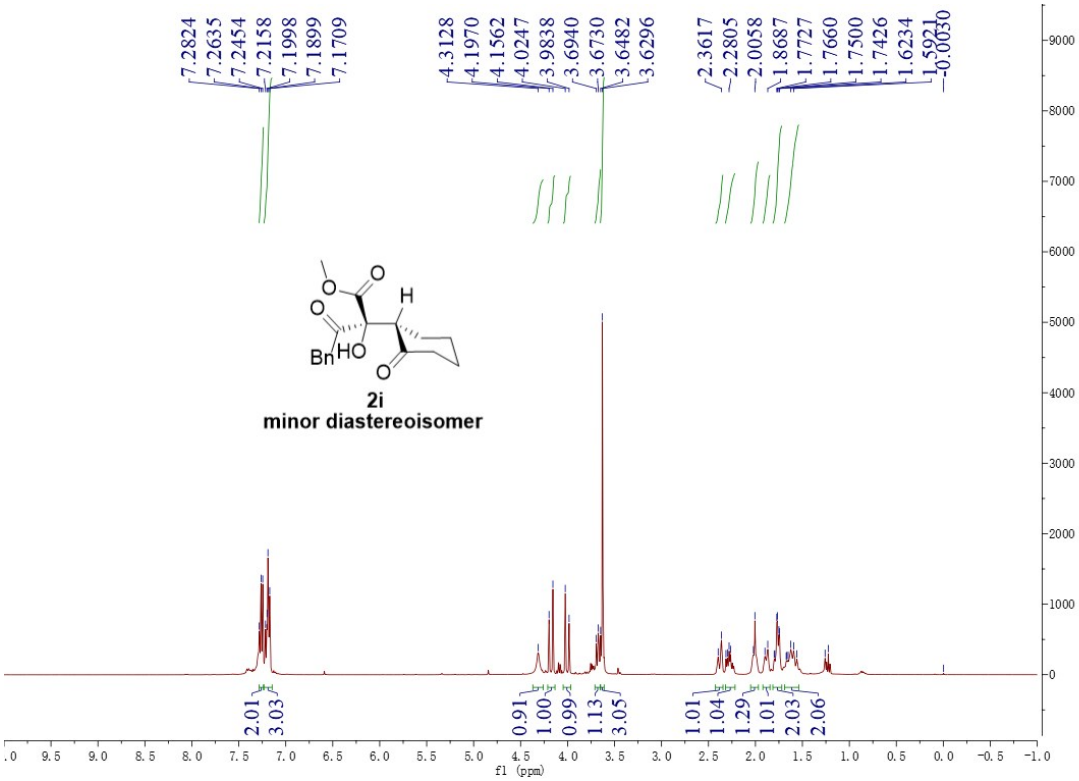


^{13}C NMR (101 MHz, $CDCl_3$)

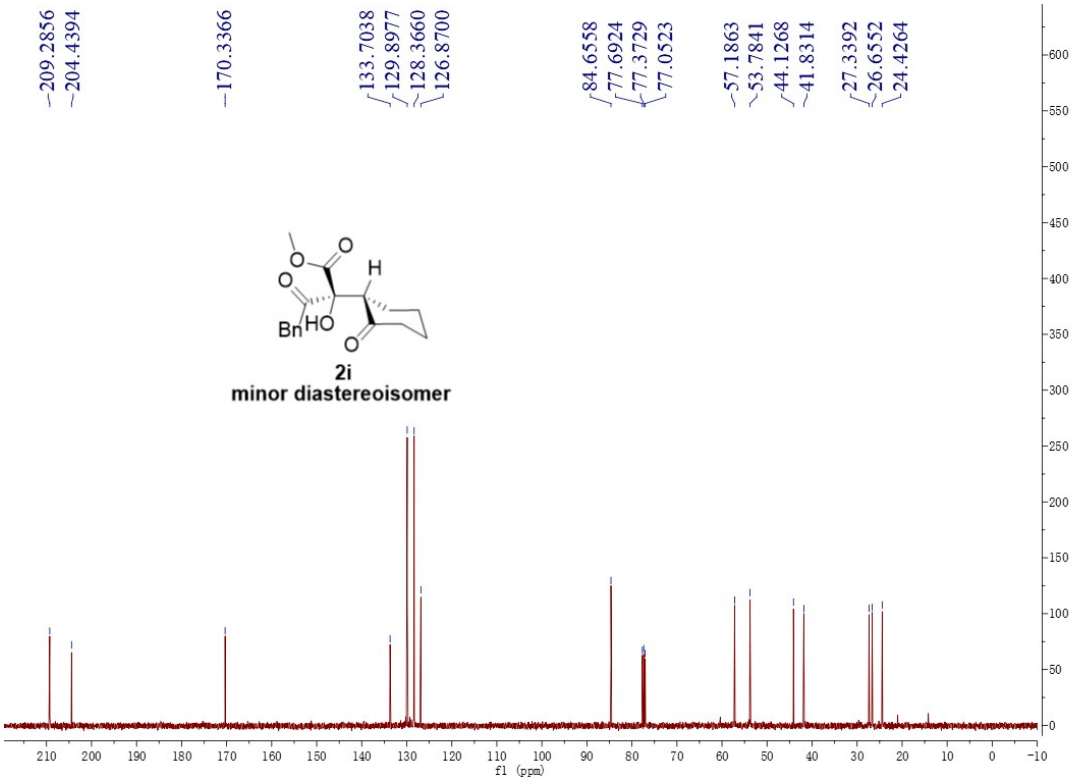


Methyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)-4-phenylbutanoate (2i, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

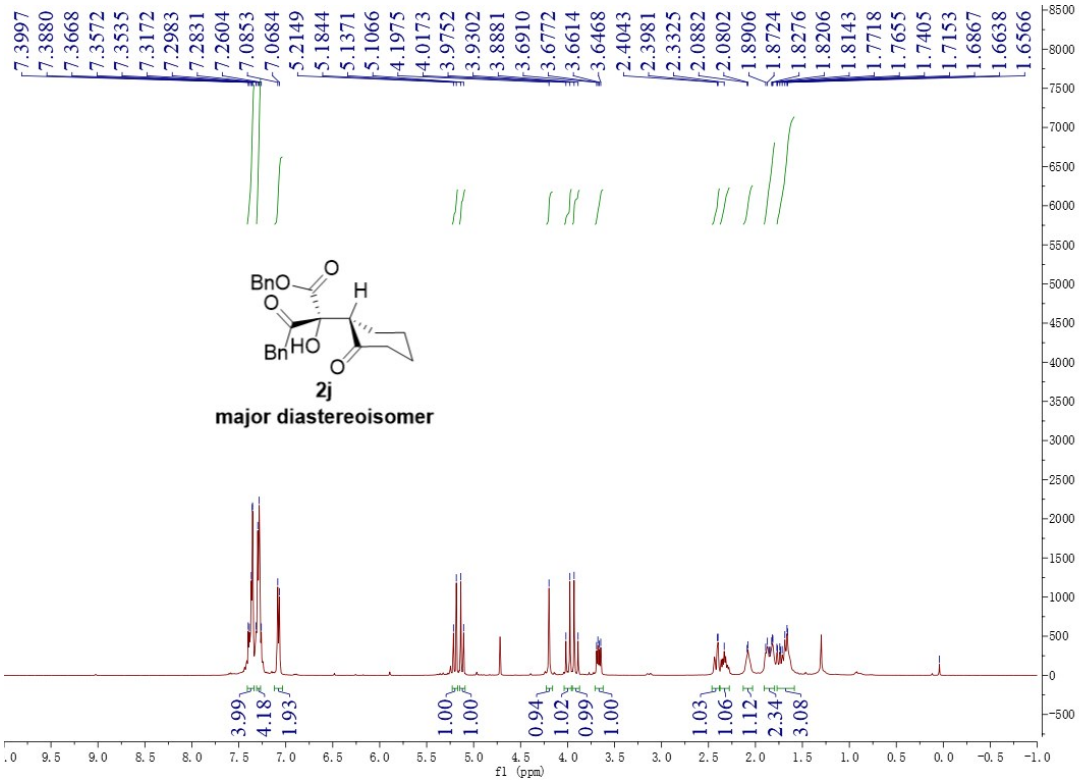


^{13}C NMR (101 MHz, $CDCl_3$)

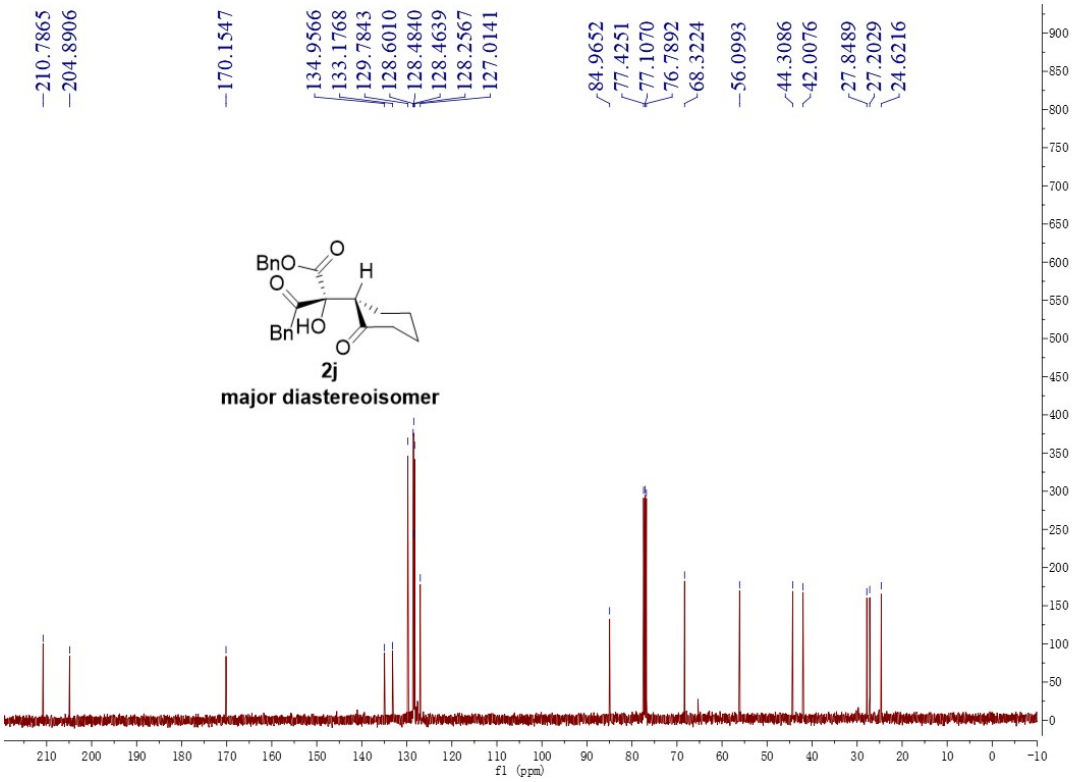


Benzyl (*R*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)-4-phenylbutanoate (2j, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

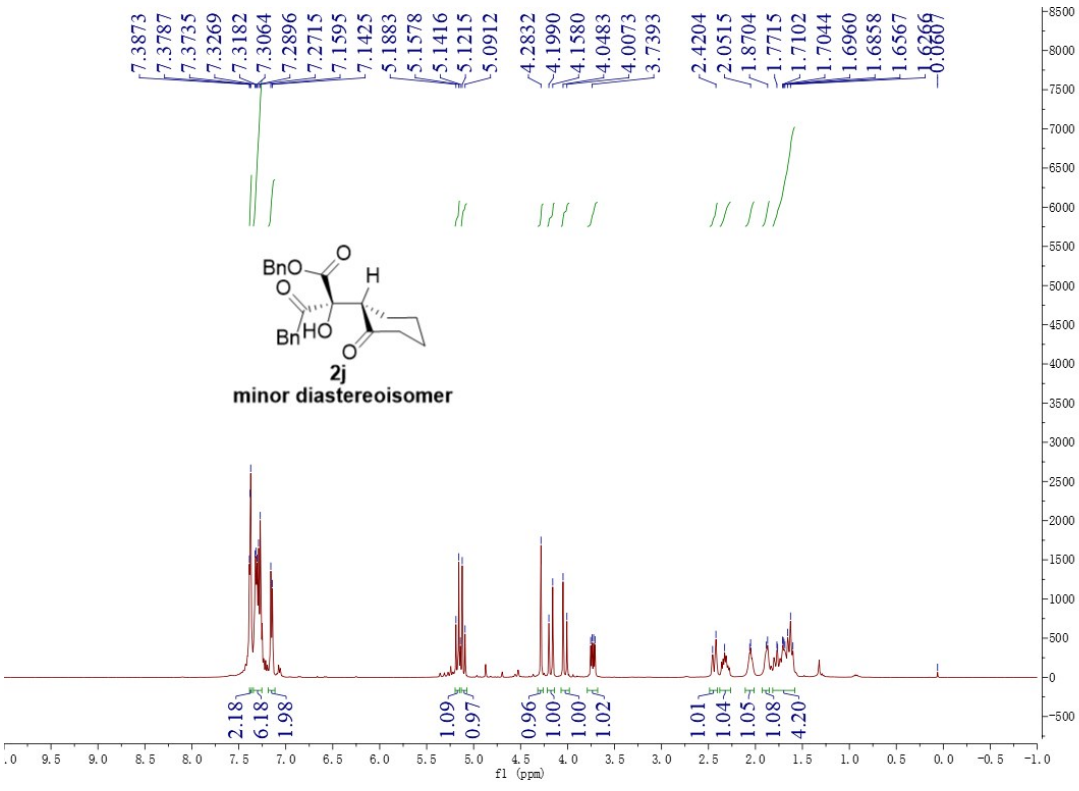


^{13}C NMR (101 MHz, $CDCl_3$)

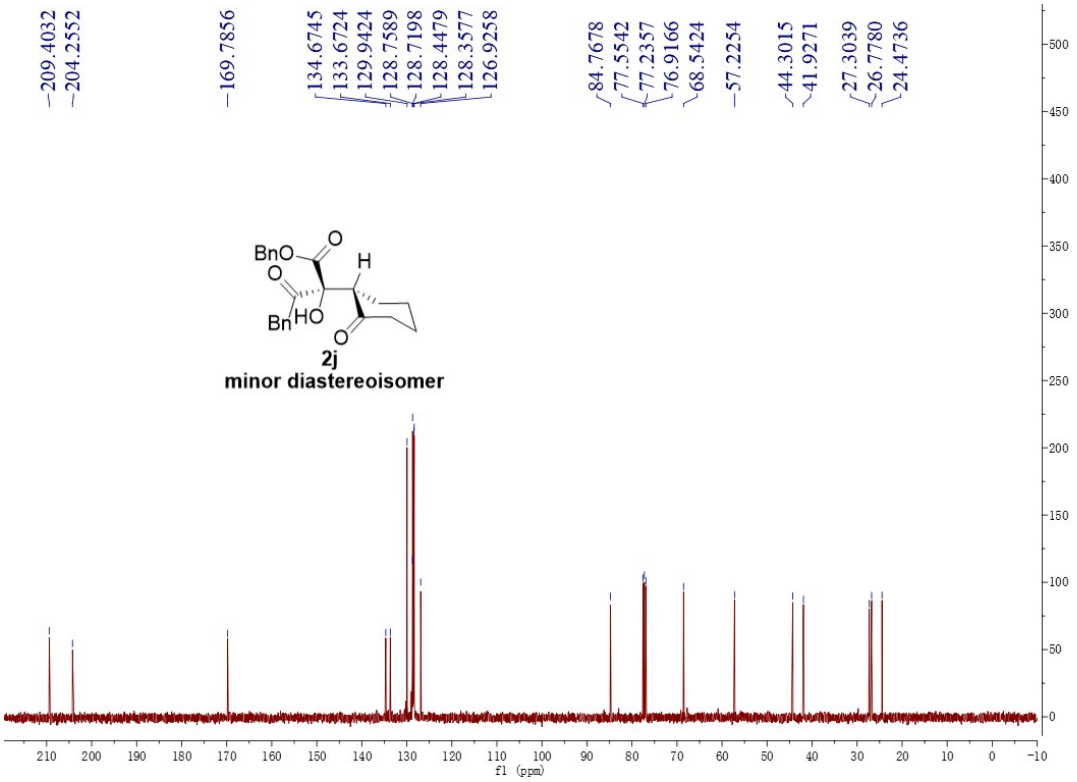


Benzyl (*S*)-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)-4-phenylbutanoate (2j, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

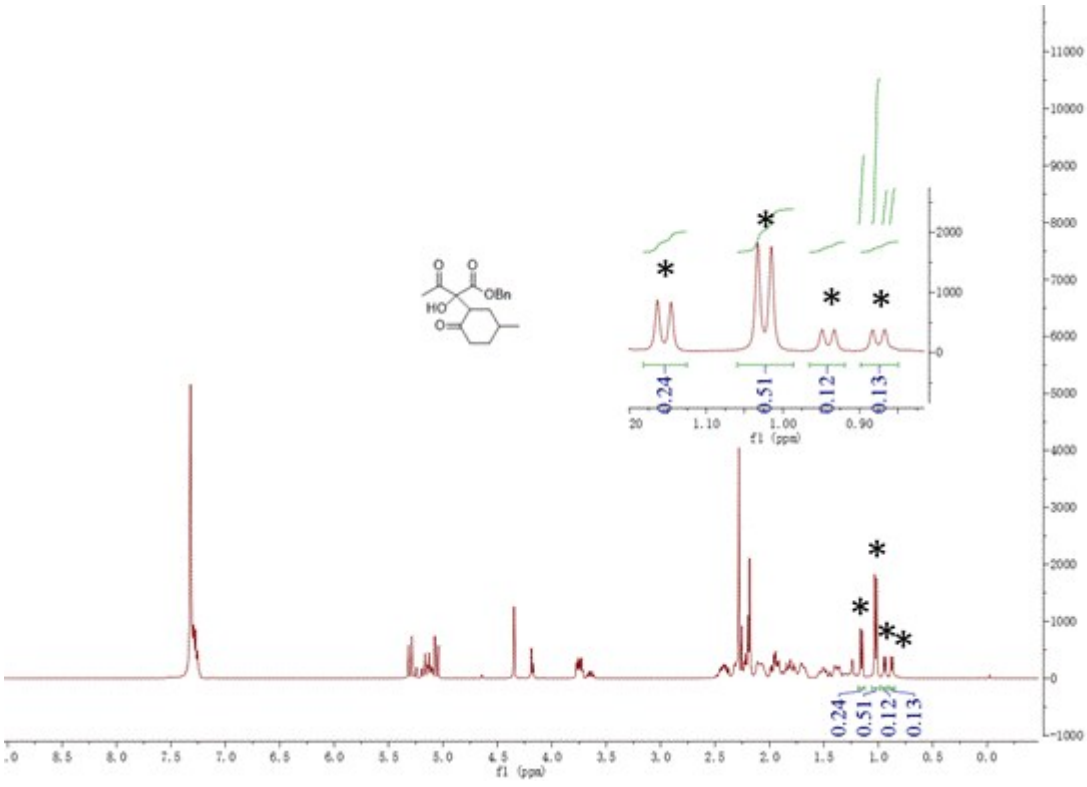


^{13}C NMR (101 MHz, $CDCl_3$)



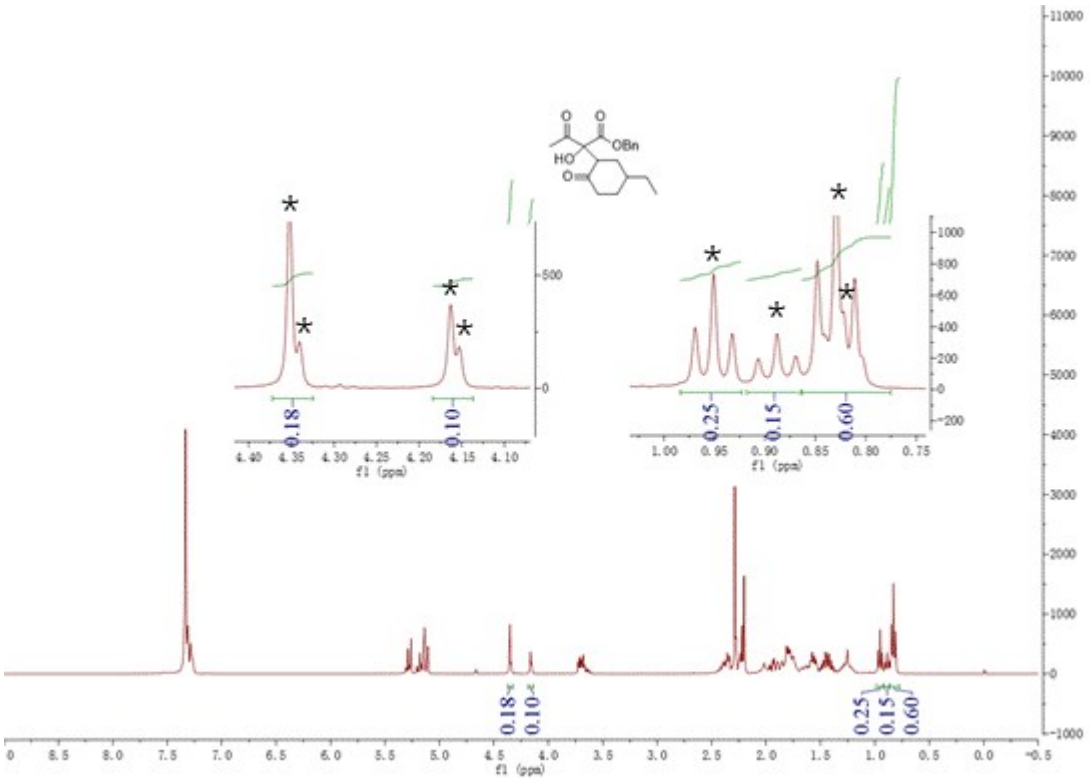
Benzyl 2-hydroxy-2-(5-methyl-2-oxocyclohexyl)-3-oxobutanoate (2l)

^{1}H NMR (400 MHz, $CDCl_3$)



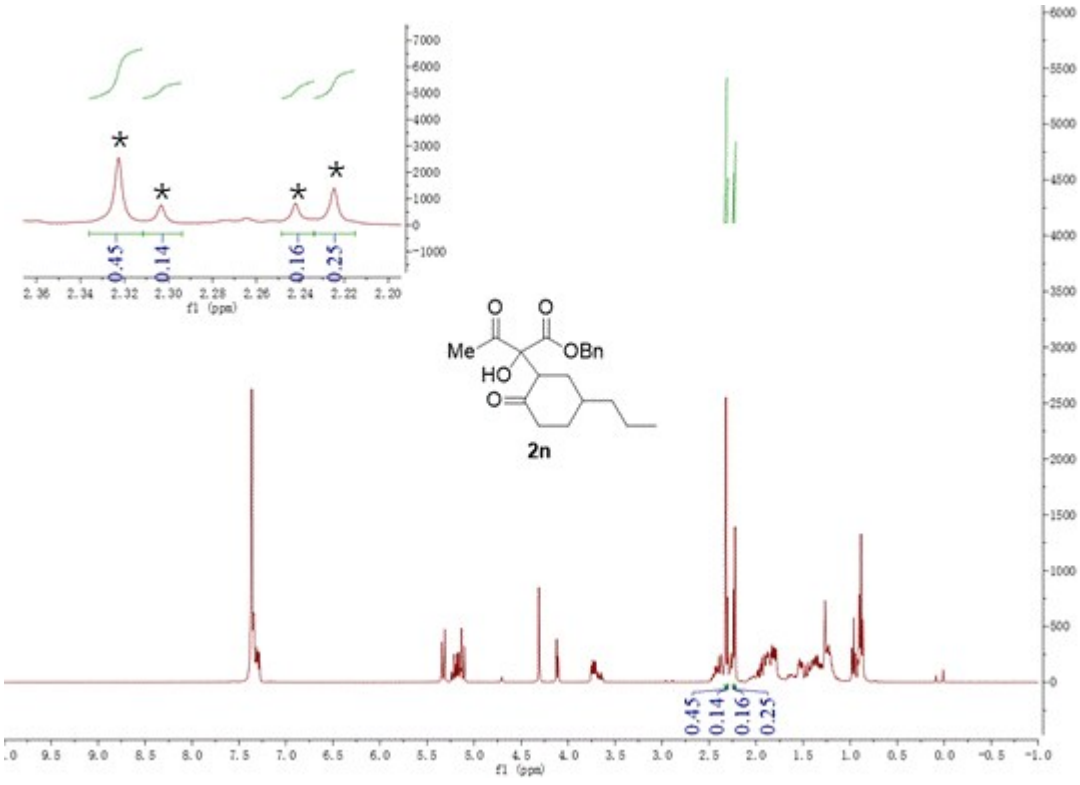
Benzyl 2-(5-ethyl-2-oxocyclohexyl)-2-hydroxy-3-oxobutanoate (2m)

^{1}H NMR (400 MHz, $CDCl_3$)



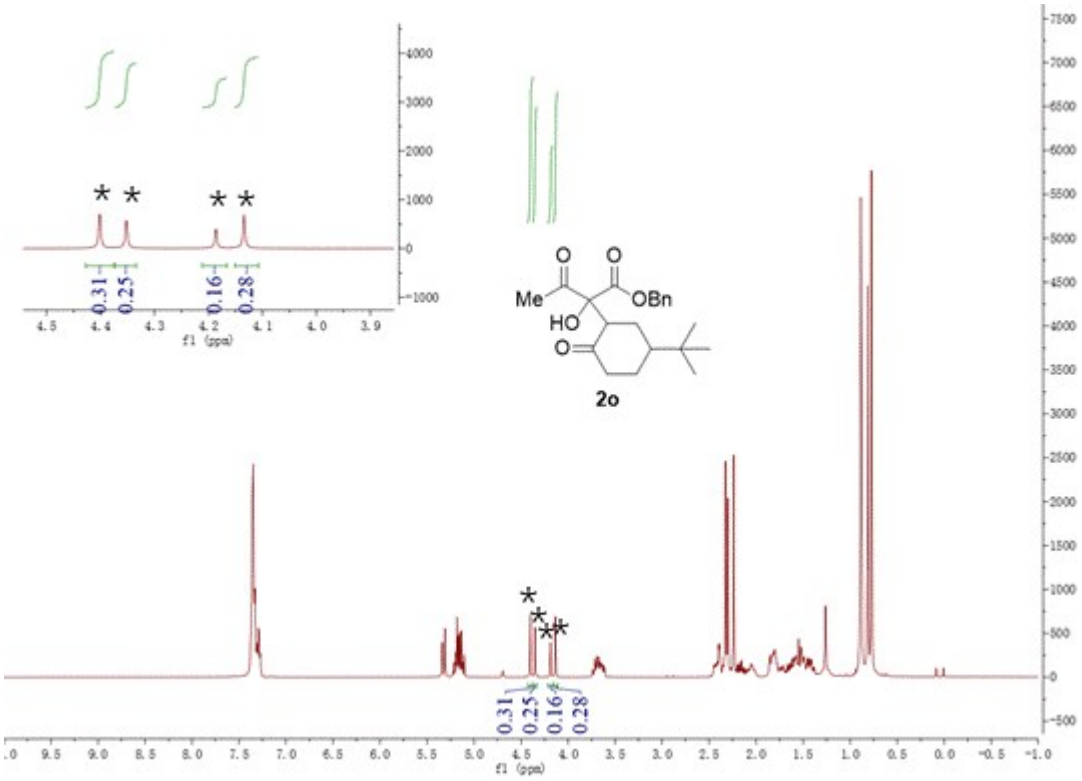
Benzyl 2-hydroxy-3-oxo-2-(2-oxo-5-propylcyclohexyl)butanoate (2n)

^{1}H NMR (400 MHz, $CDCl_3$)

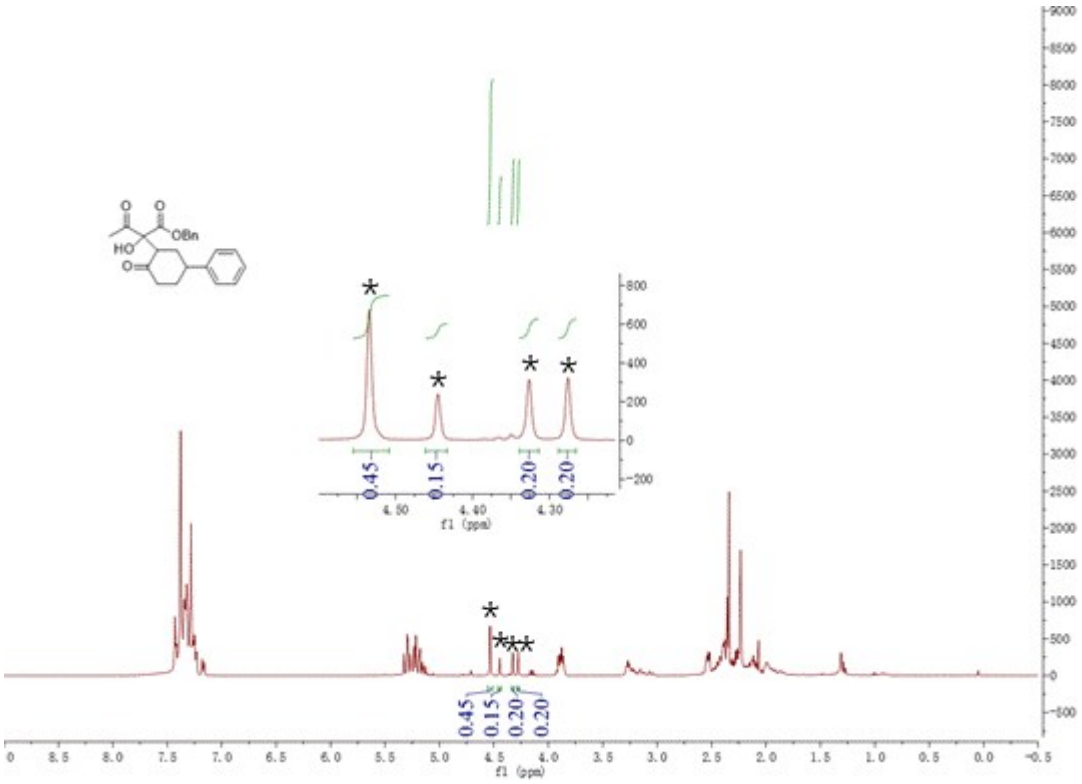


Benzyl 2-(5-(tert-butyl)-2-oxocyclohexyl)-2-hydroxy-3-oxobutanoate (2o)

^{1}H NMR (400 MHz, $CDCl_3$)

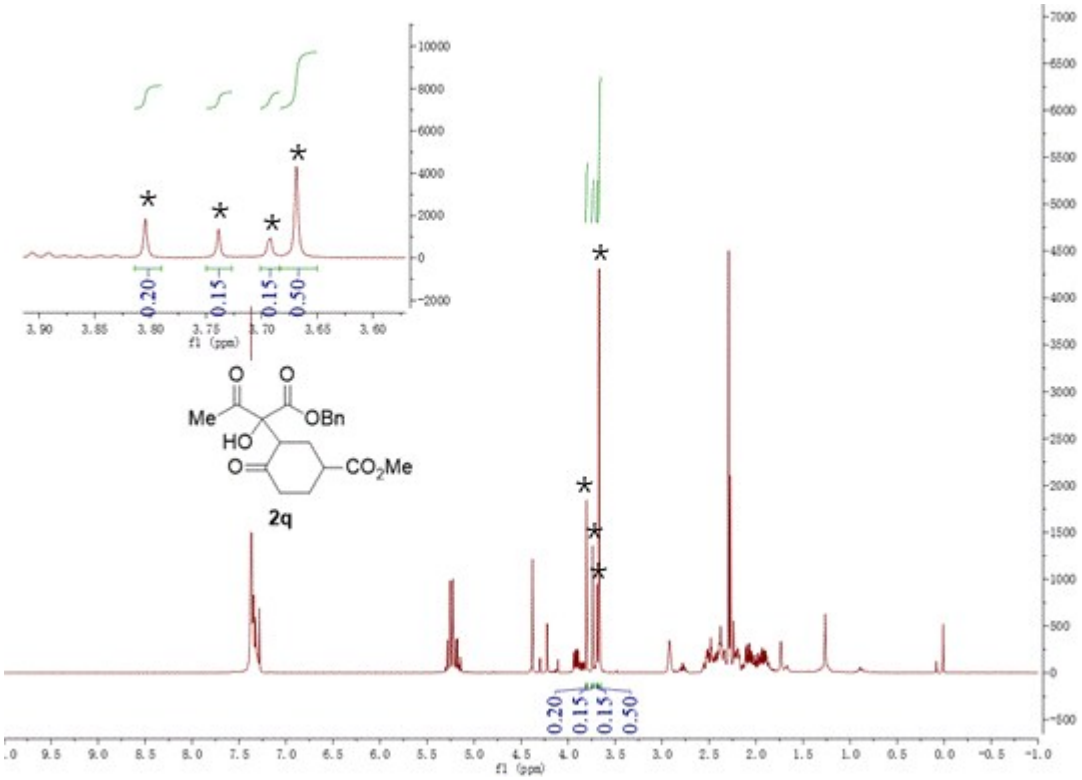


Benzyl 2-hydroxy-3-oxo-2-(2-oxo-5-phenylcyclohexyl)butanoate (2p)



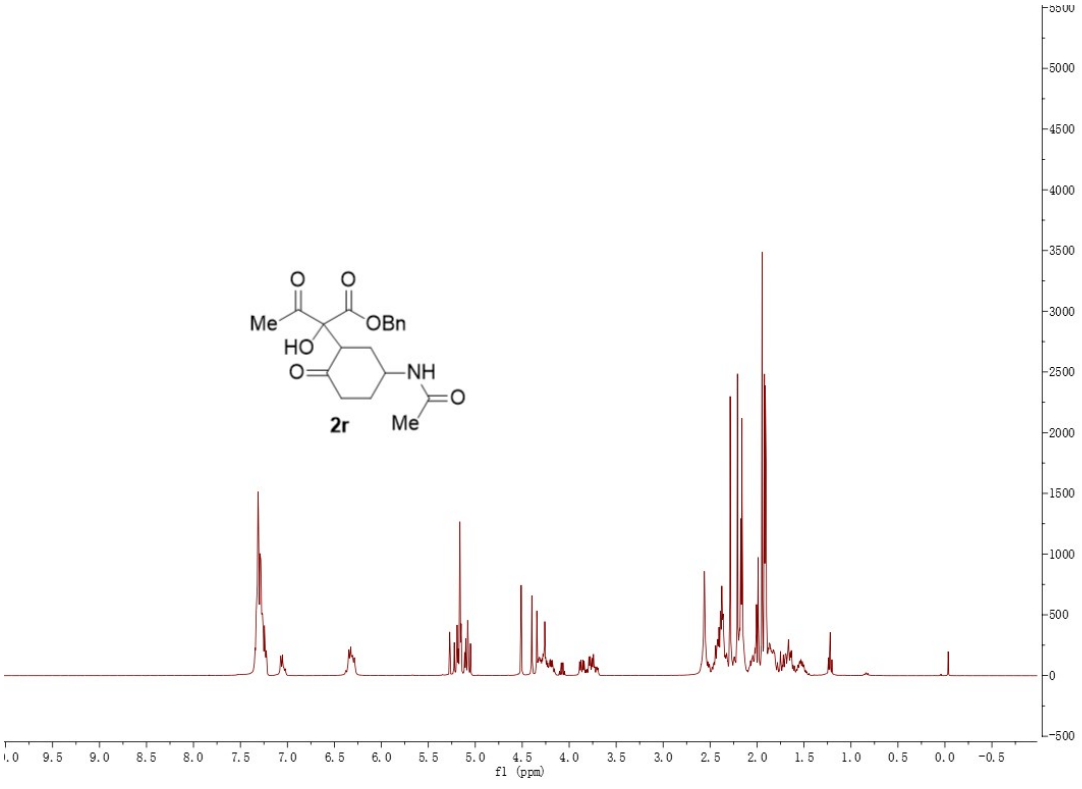
Methyl 3-(1-(benzyloxy)-2-hydroxy-1,3-dioxobutan-2-yl)-4-oxocyclohexane-1-carboxylate (2q)

^{1}H NMR (400 MHz, $CDCl_3$)



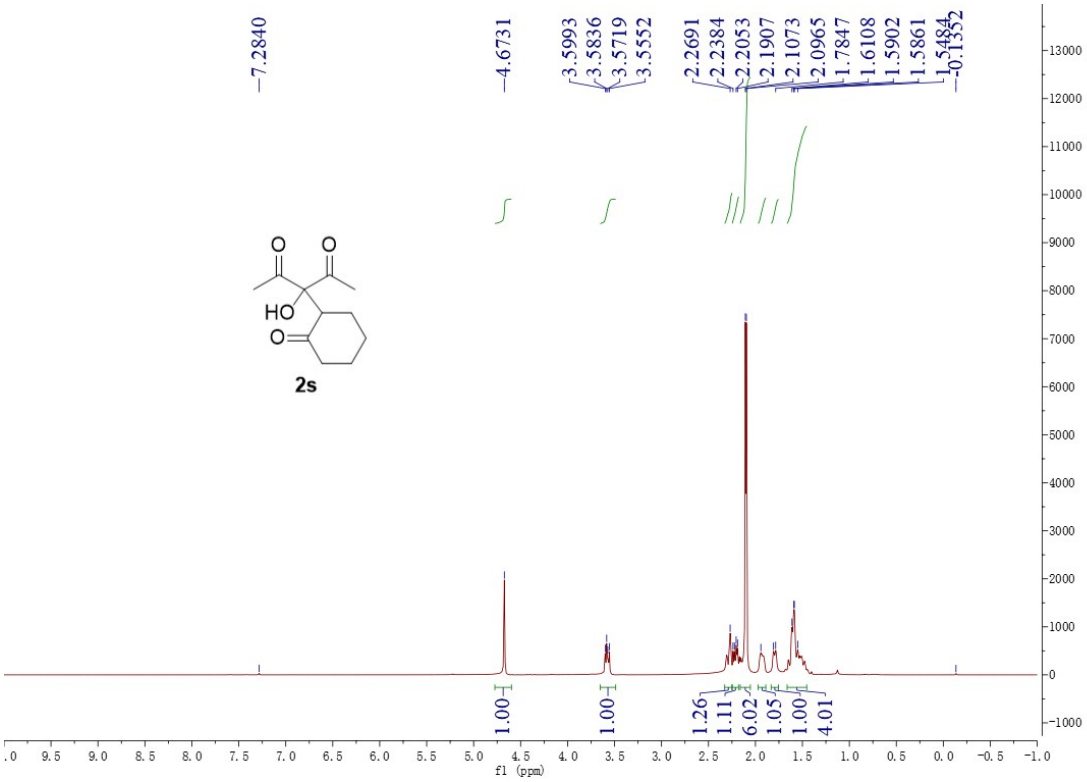
Benzyl 2-(5-acetamido-2-oxocyclohexyl)-2-hydroxy-3-oxobutanoate (2r)

^{1}H NMR (400 MHz, $CDCl_3$)

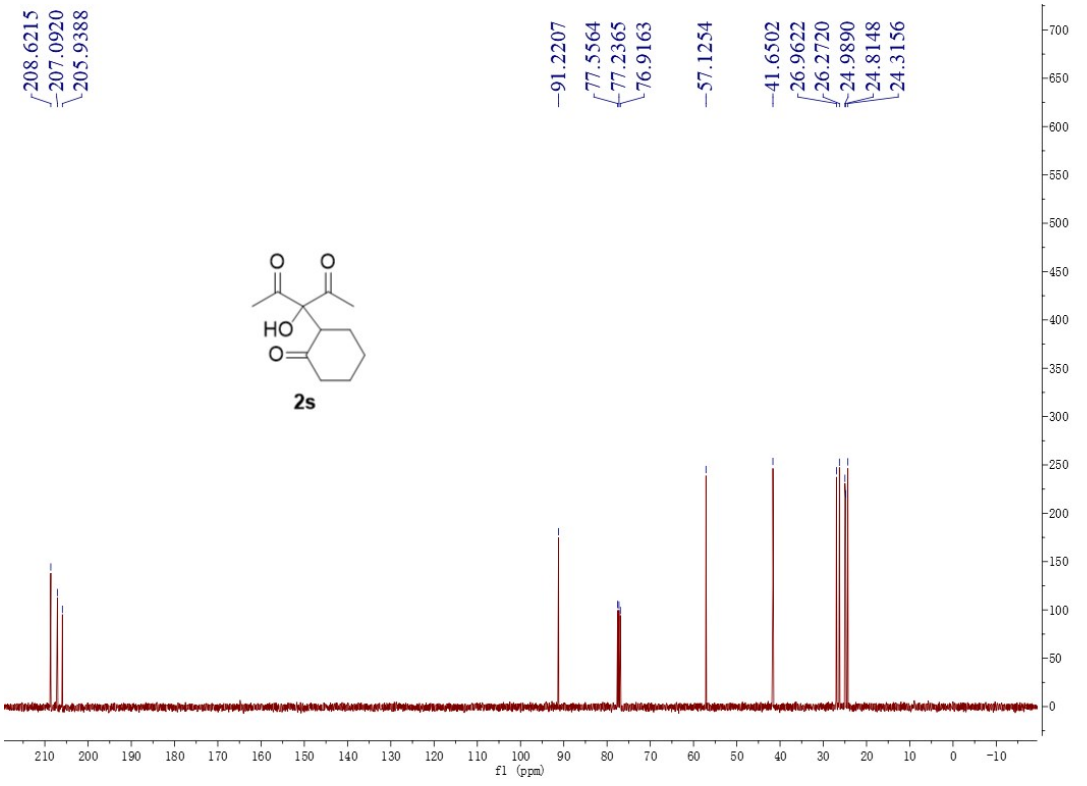


3-Hydroxy-3-(2-oxocyclohexyl)pentane-2,4-dione (2s)

^{1}H NMR (400 MHz, $CDCl_3$)

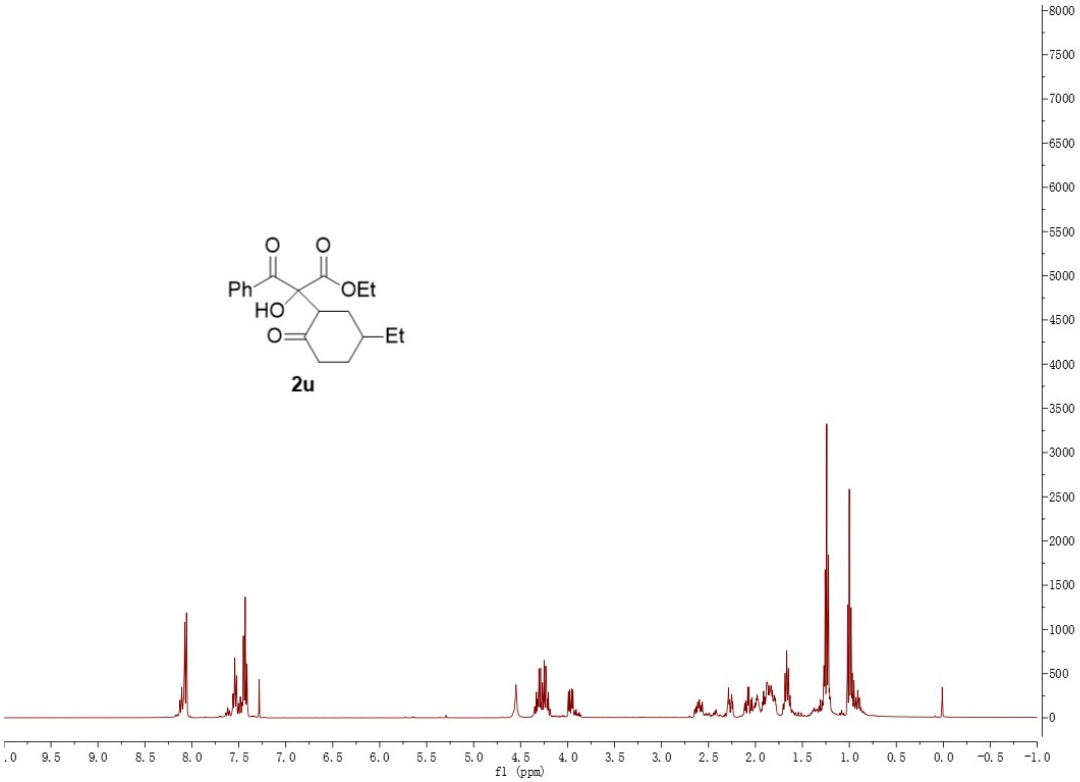


^{13}C NMR (101 MHz, $CDCl_3$)



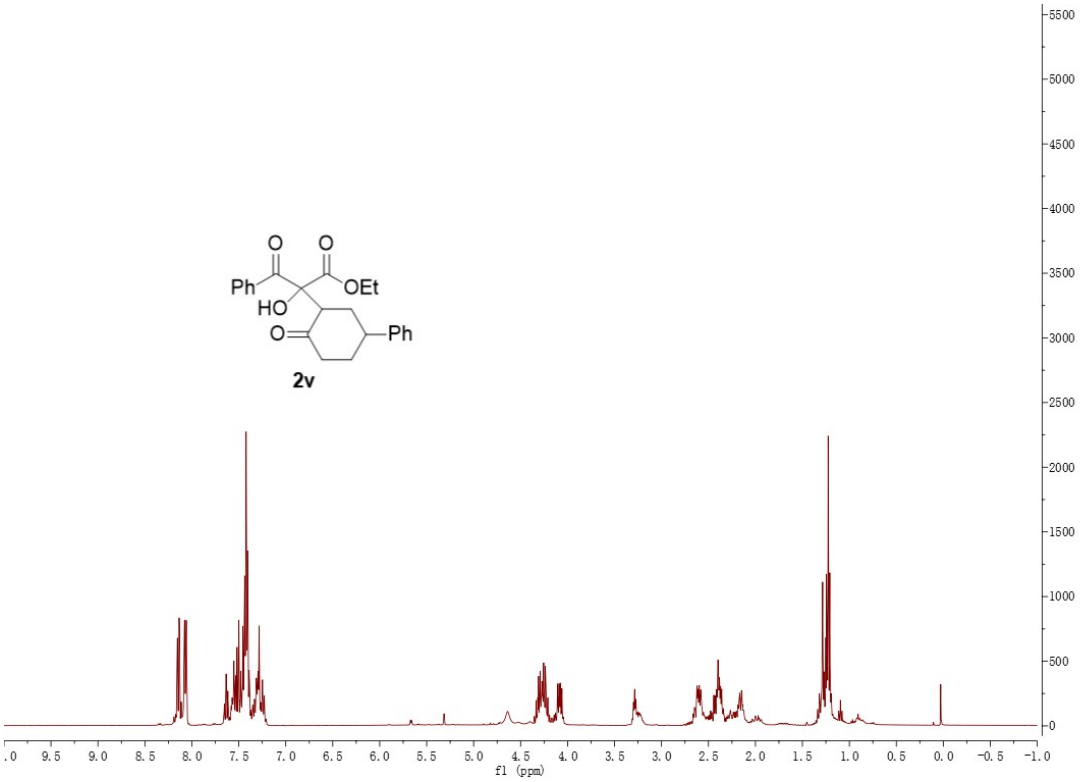
Ethyl 2-(5-ethyl-2-oxocyclohexyl)-2-hydroxy-3-oxo-3-phenylpropanoate (2u)

^{1}H NMR (400 MHz, $CDCl_3$)



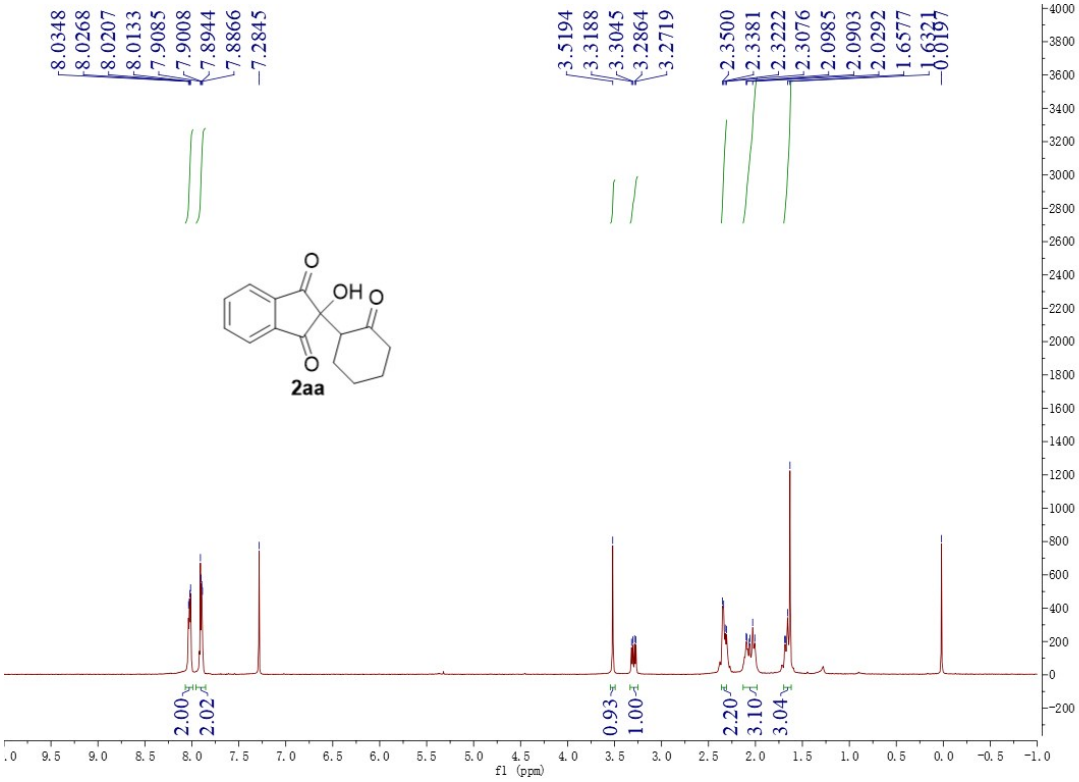
Ethyl 2-hydroxy-3-oxo-2-(2-oxo-5-phenylcyclohexyl)-3-phenylpropanoate (2v)

^{1}H NMR (400 MHz, $CDCl_3$)

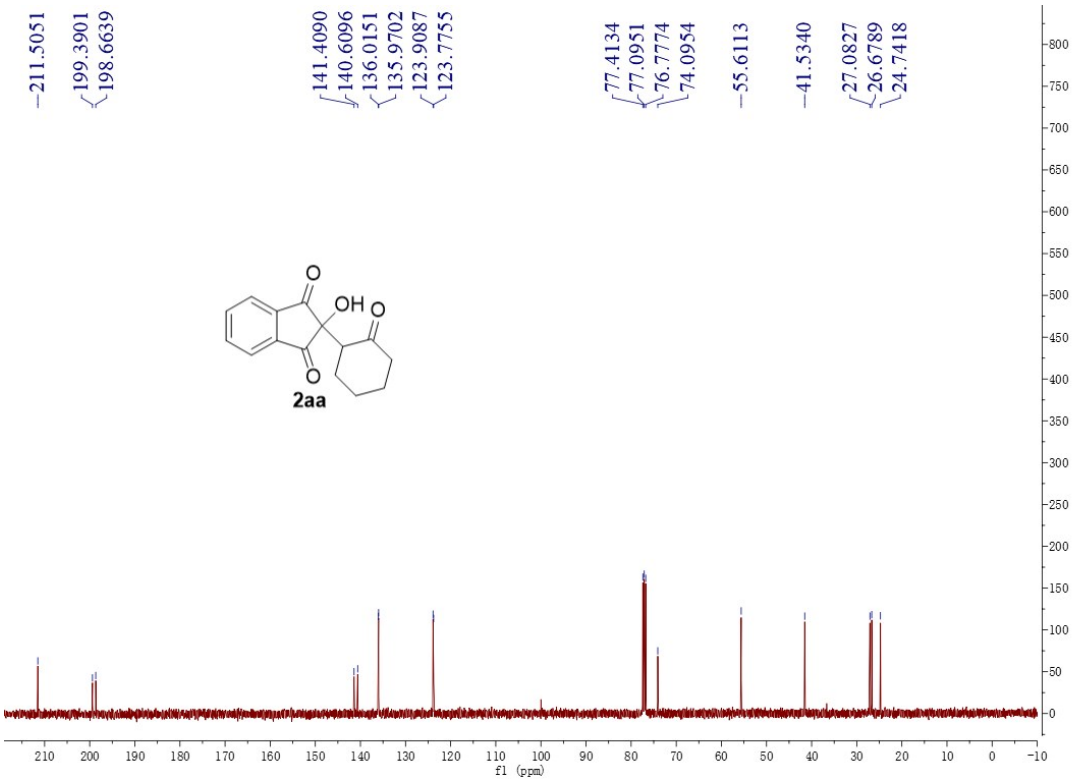


2-Hydroxy-2-(2-oxocyclohexyl)-1*H*-indene-1,3(2*H*)-dione (2aa)

^{1}H NMR (400 MHz, $CDCl_3$)

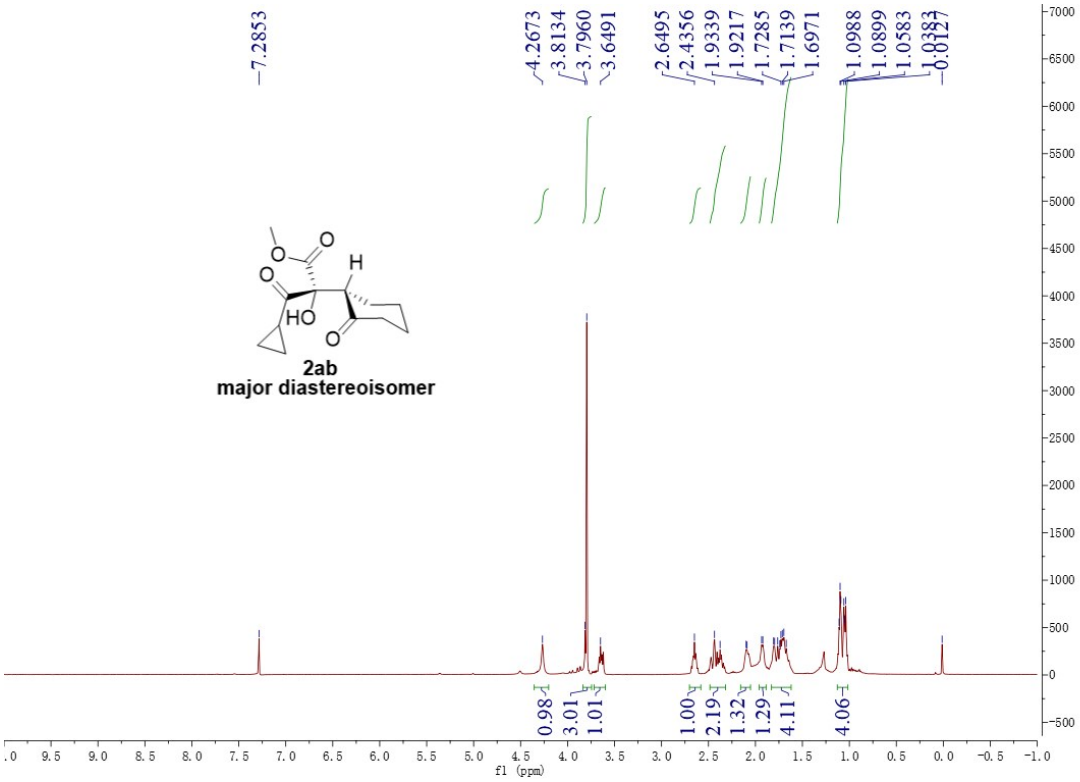


^{13}C NMR (101 MHz, $CDCl_3$)

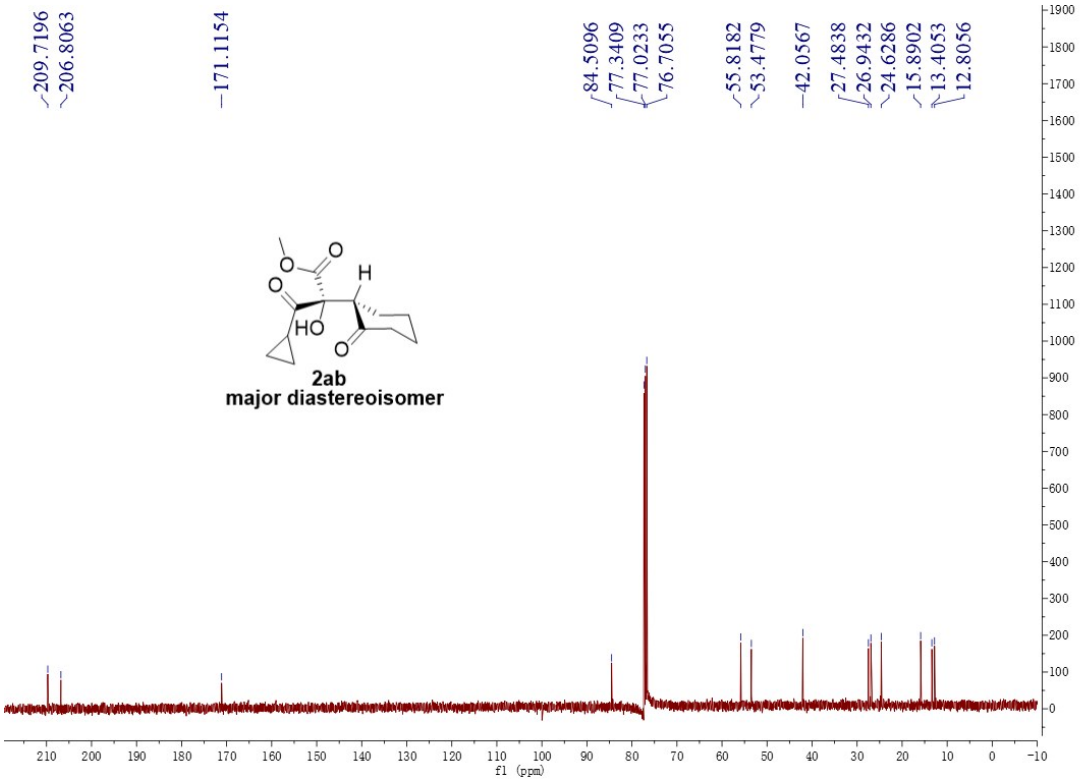


Methyl (*R*)-3-cyclopropyl-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)propanoate (2ab, major diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

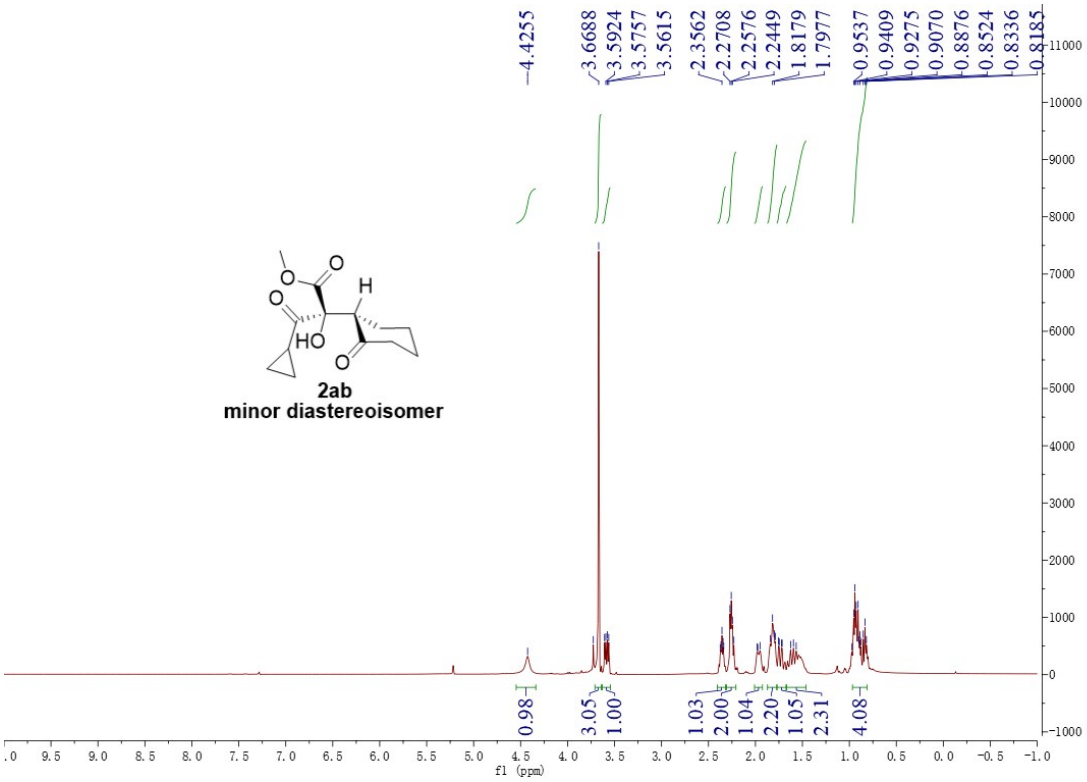


^{13}C NMR (101 MHz, $CDCl_3$)

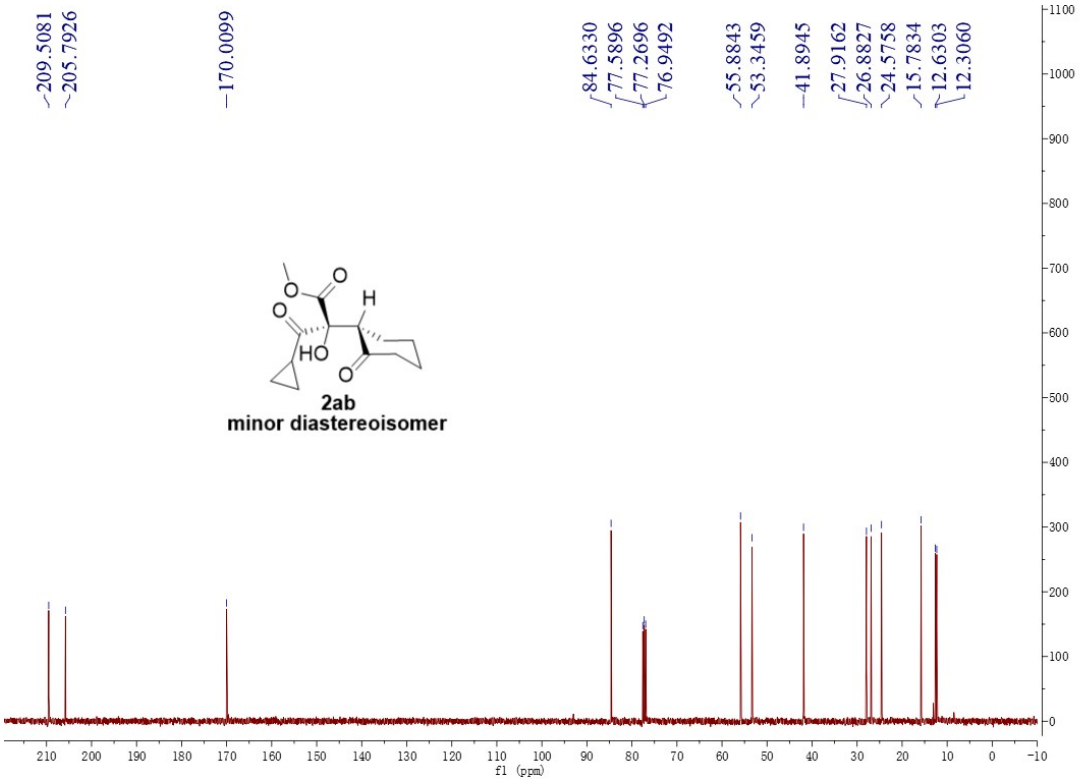


Methyl (*S*)-3-cyclopropyl-2-hydroxy-3-oxo-2-((*S*)-2-oxocyclohexyl)propanoate (2ab, minor diastereoisomer)

^{1}H NMR (400 MHz, $CDCl_3$)

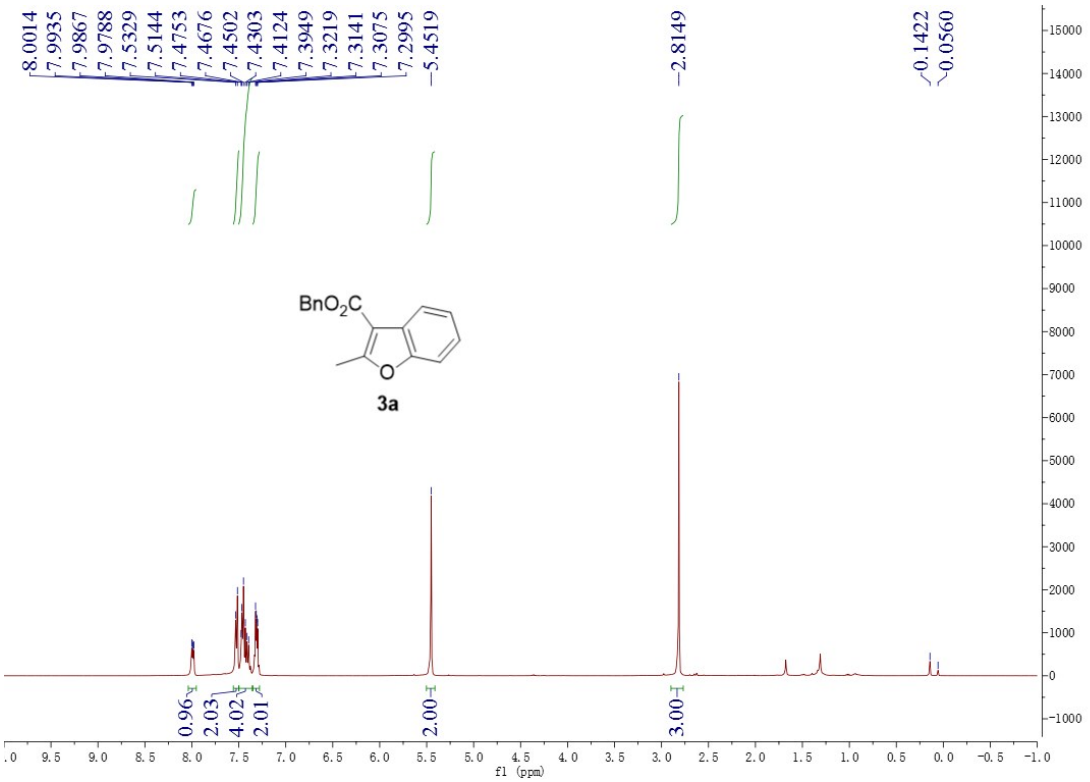


^{13}C NMR (101 MHz, $CDCl_3$)

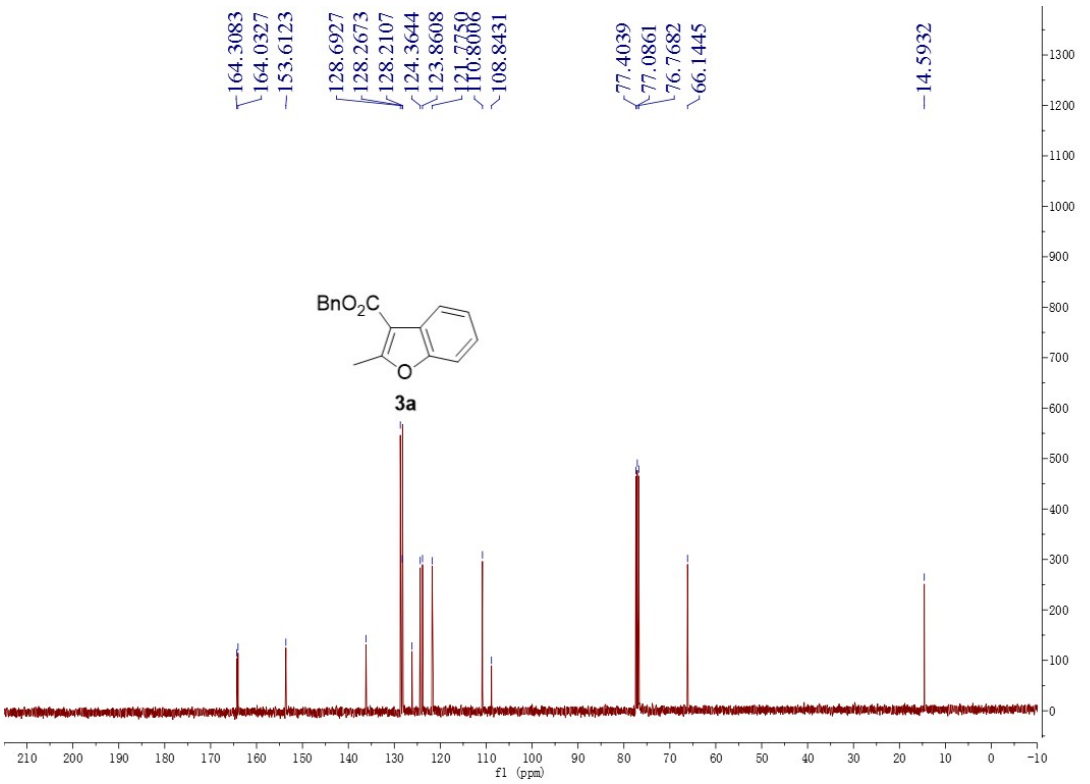


Benzyl 2-methylbenzofuran-3-carboxylate (3a)

^{1}H NMR (400 MHz, $CDCl_3$)

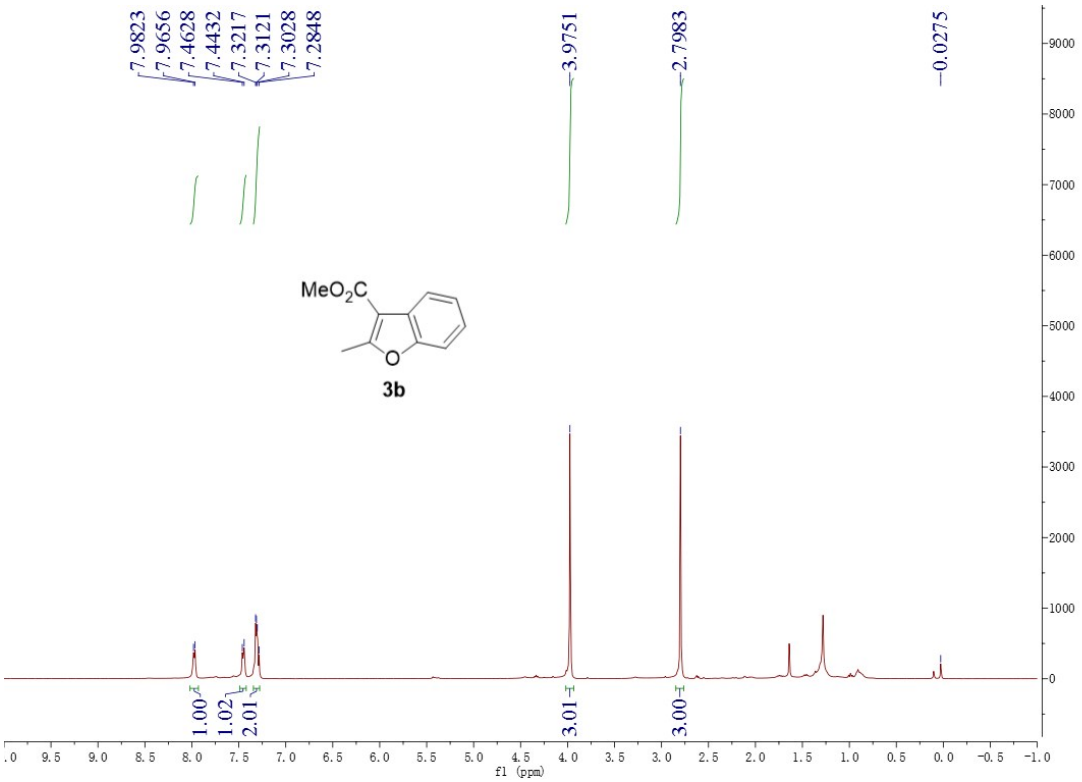


^{13}C NMR (101 MHz, $CDCl_3$)

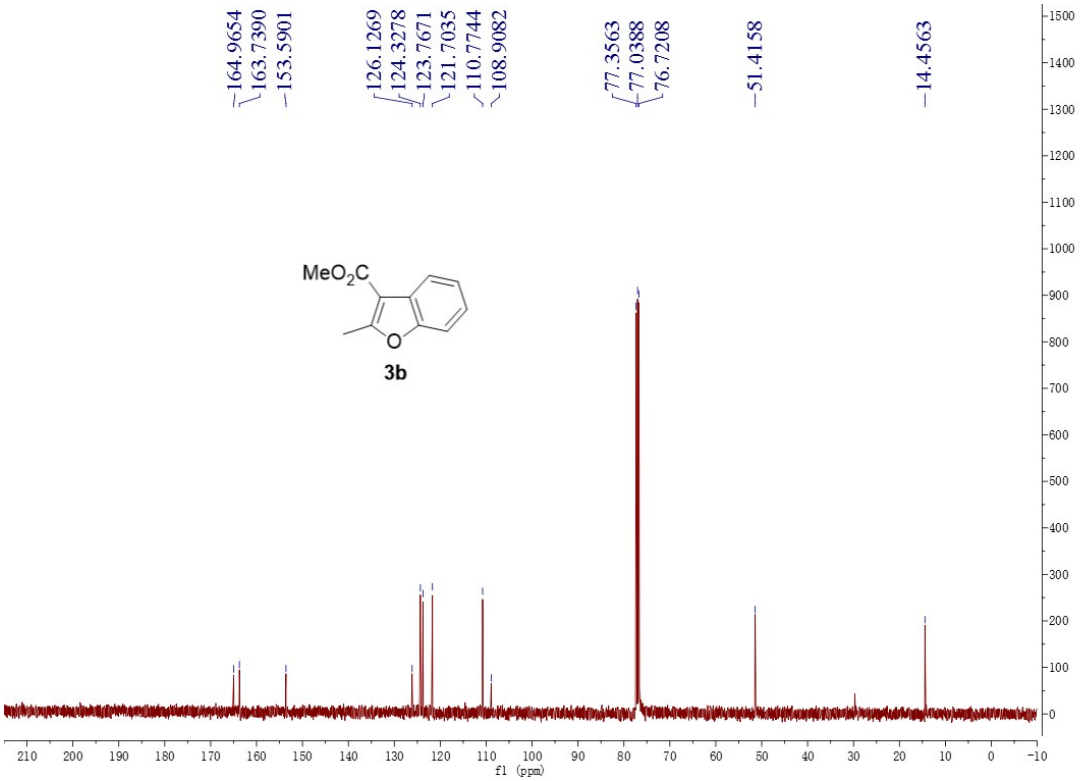


Methyl 2-methylbenzofuran-3-carboxylate (3b)

^{1}H NMR (400 MHz, $CDCl_3$)

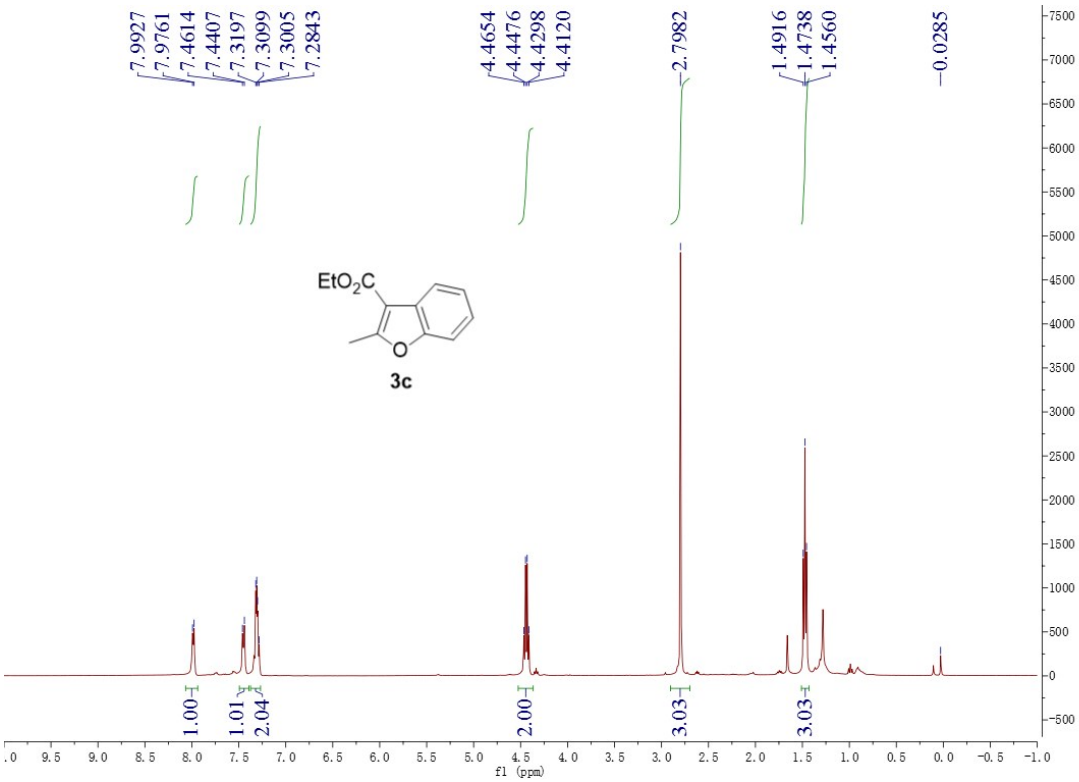


^{13}C NMR (101 MHz, $CDCl_3$)

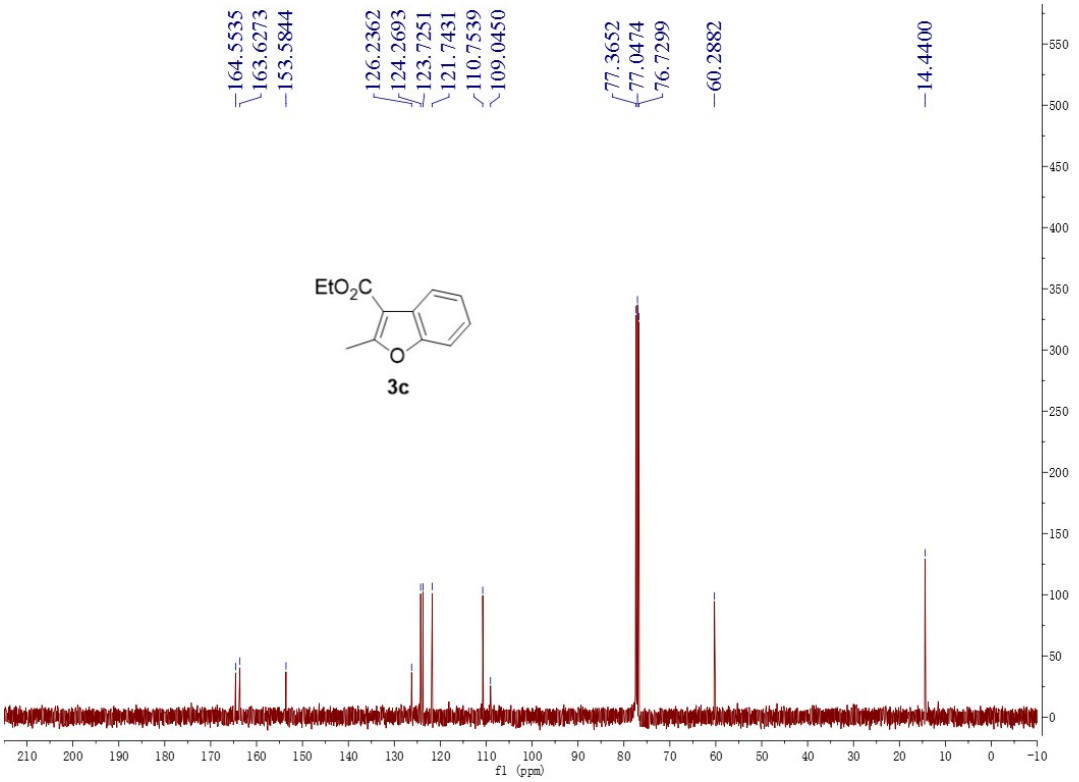


Ethyl 2-methylbenzofuran-3-carboxylate (3c)

^{1}H NMR (400 MHz, $CDCl_3$)

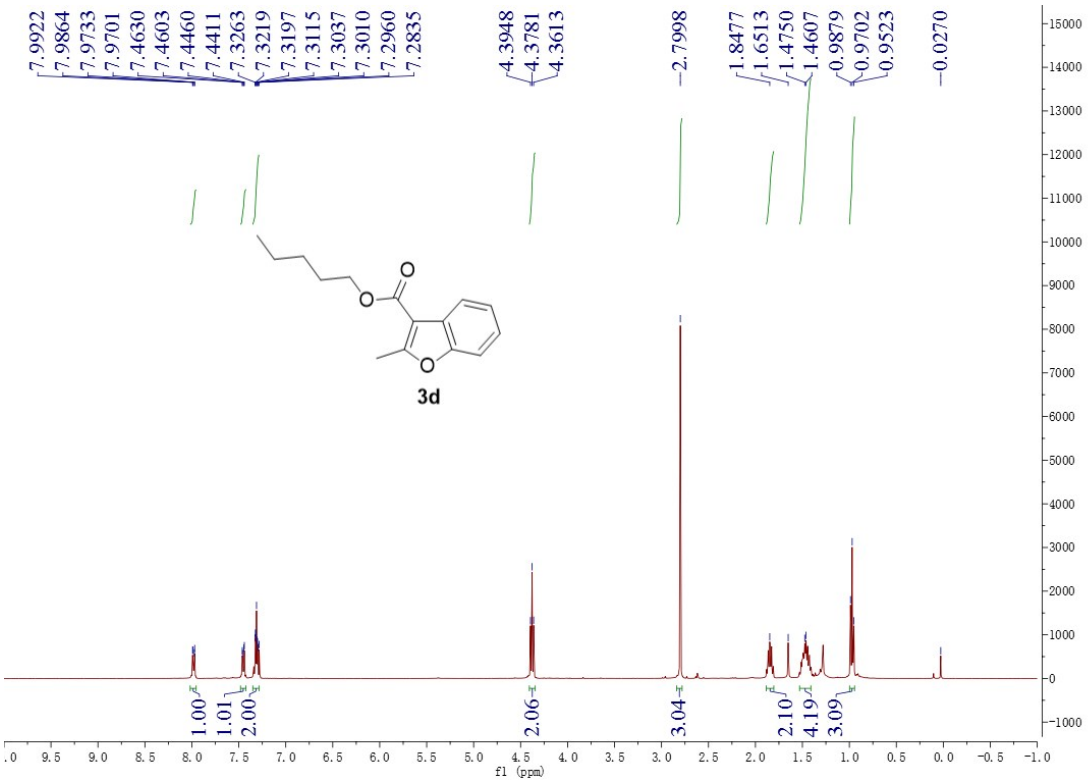


^{13}C NMR (101 MHz, $CDCl_3$)

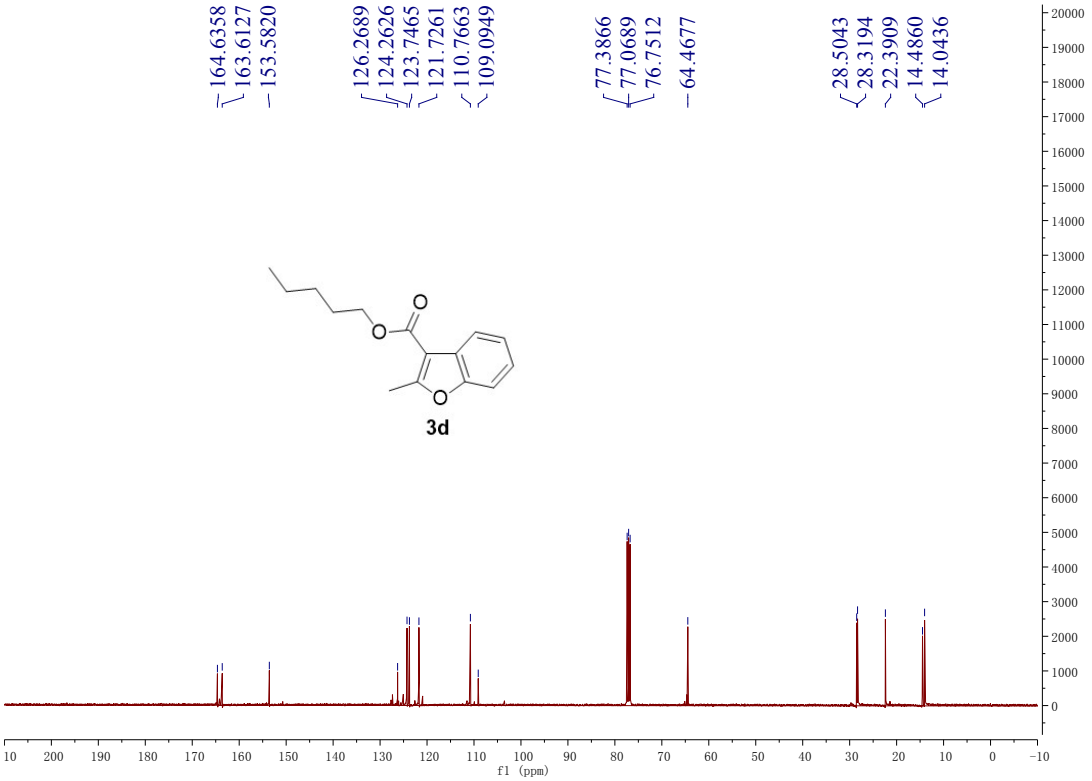


Pentyl 2-methylbenzofuran-3-carboxylate (3d)

^{1}H NMR (400 MHz, $CDCl_3$)

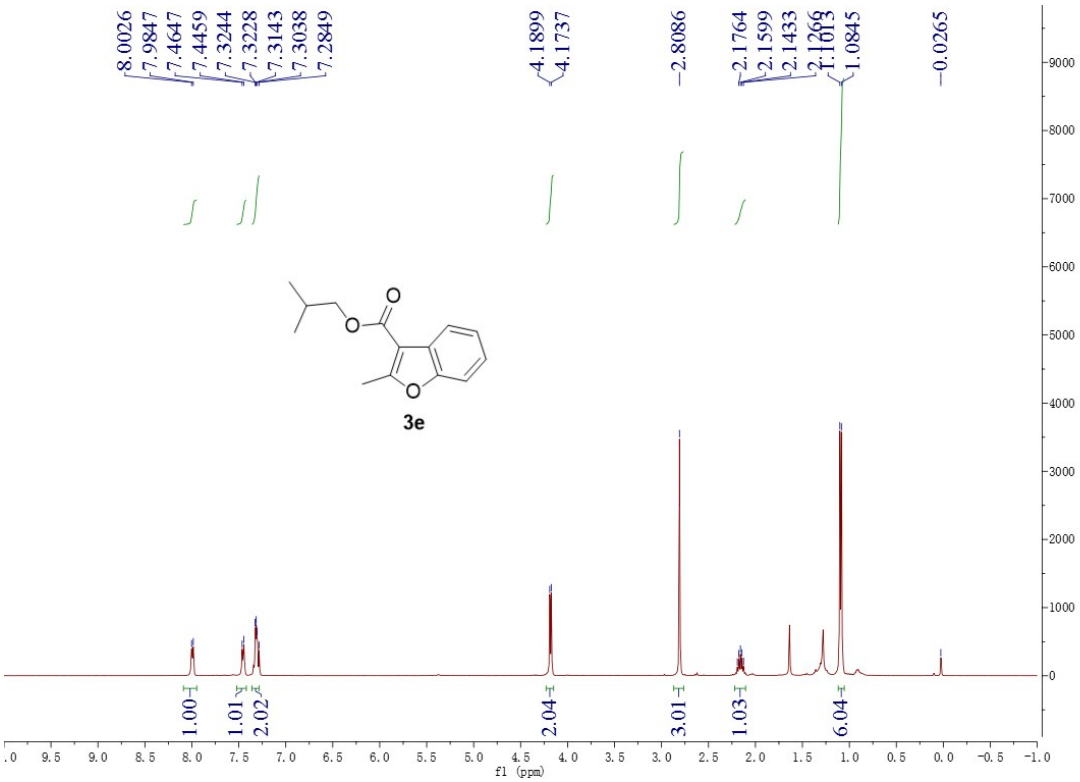


^{13}C NMR (101 MHz, $CDCl_3$)

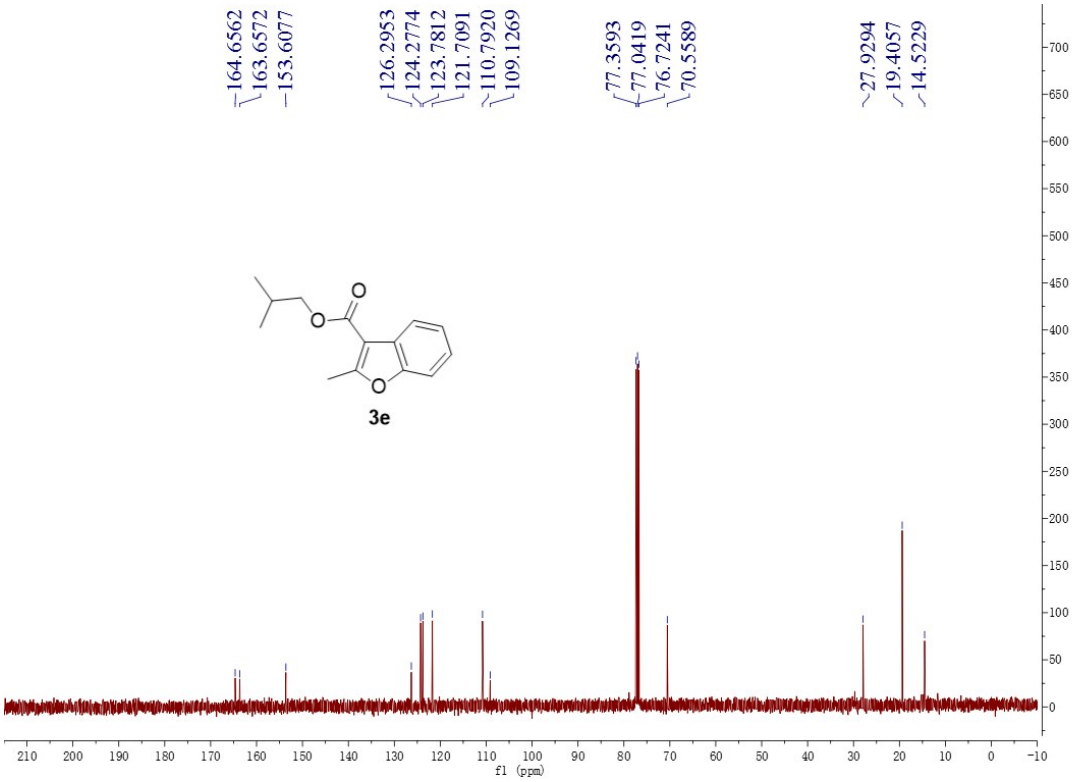


Isobutyl 2-methylbenzofuran-3-carboxylate (3e)

^{1}H NMR (400 MHz, $CDCl_3$)

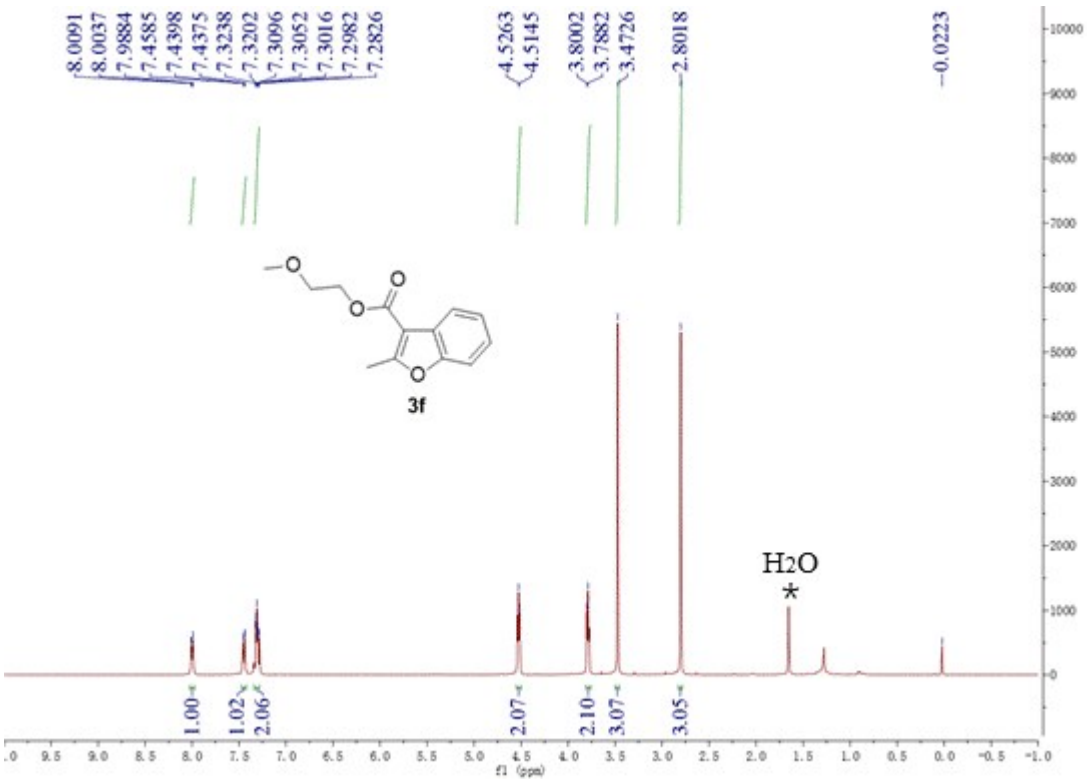


^{13}C NMR (101 MHz, $CDCl_3$)

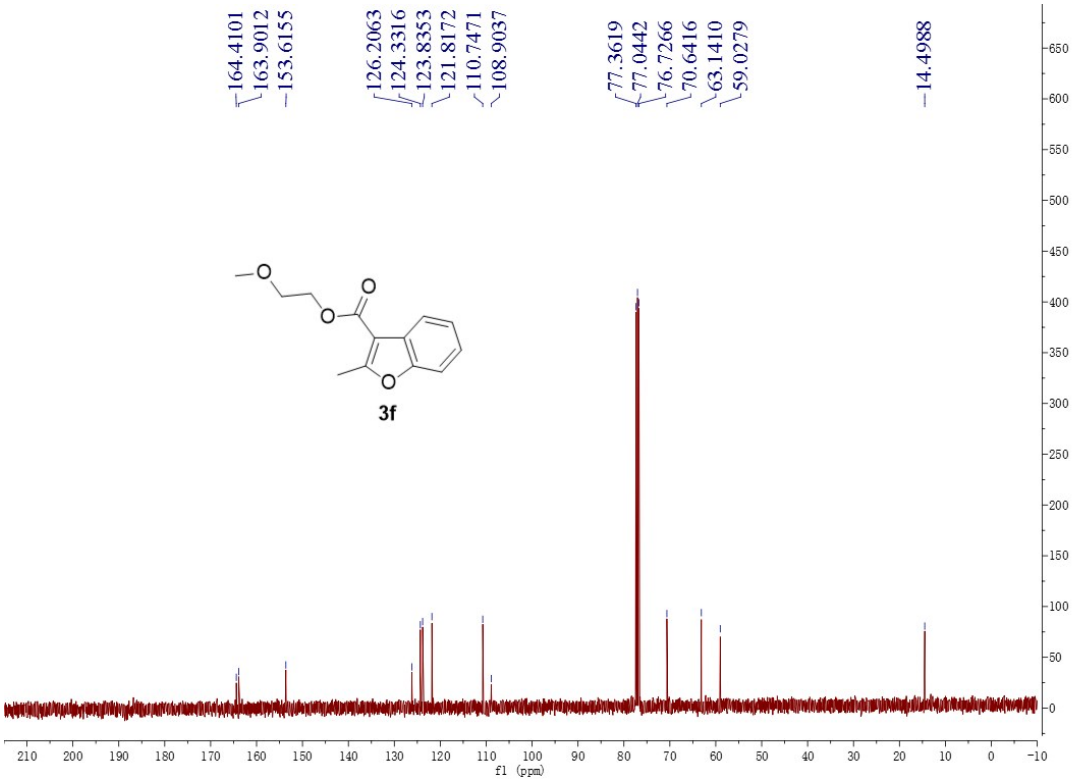


2-Methoxyethyl 2-methylbenzofuran-3-carboxylate (3f)

^{1}H NMR (400 MHz, $CDCl_3$)

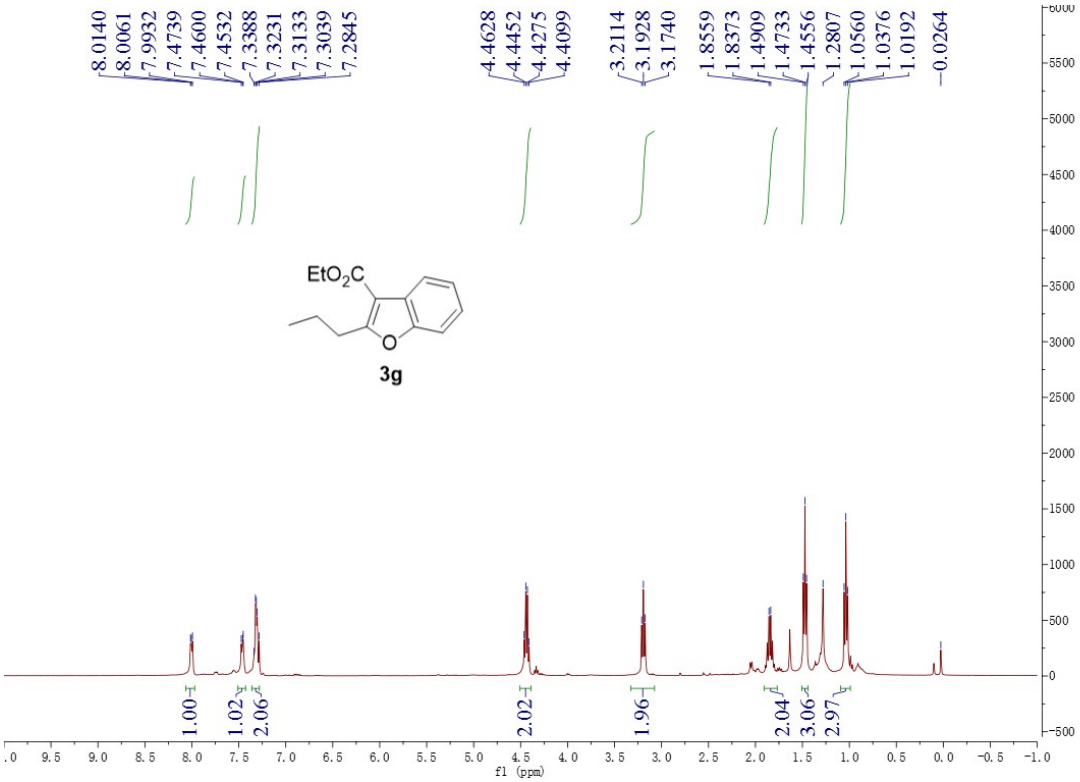


^{13}C NMR (101 MHz, $CDCl_3$)

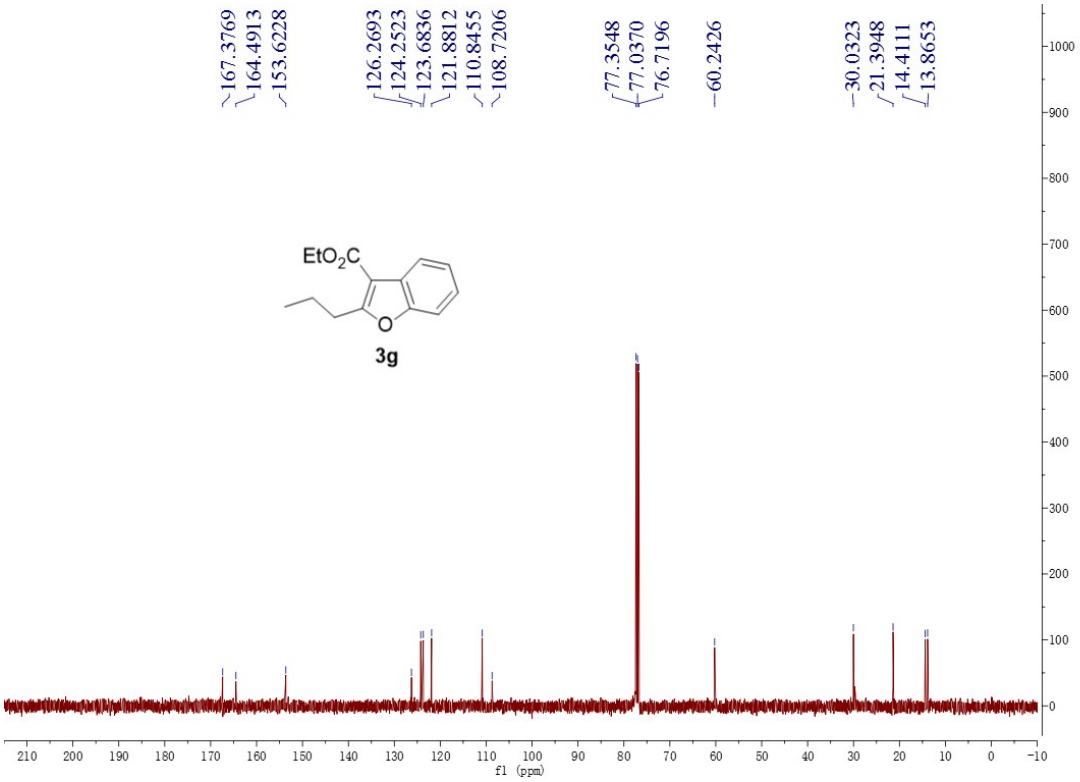


Ethyl 2-propylbenzofuran-3-carboxylate (3g)

^{1}H NMR (400 MHz, $CDCl_3$)

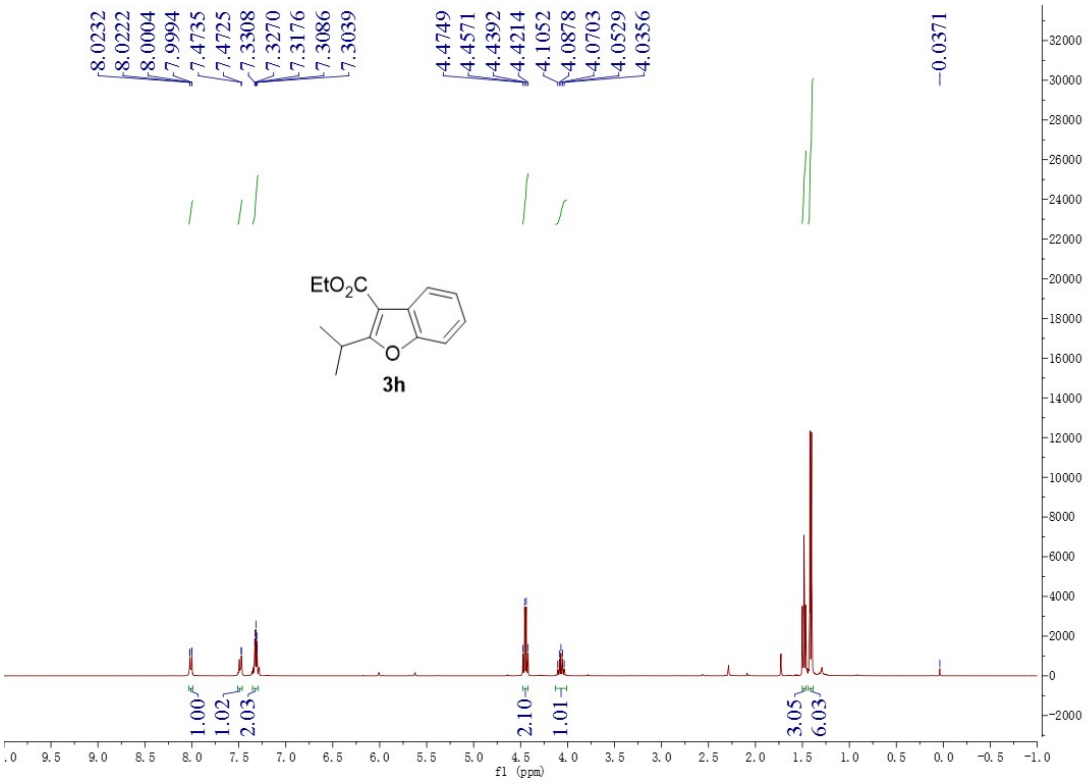


^{13}C NMR (101 MHz, $CDCl_3$)

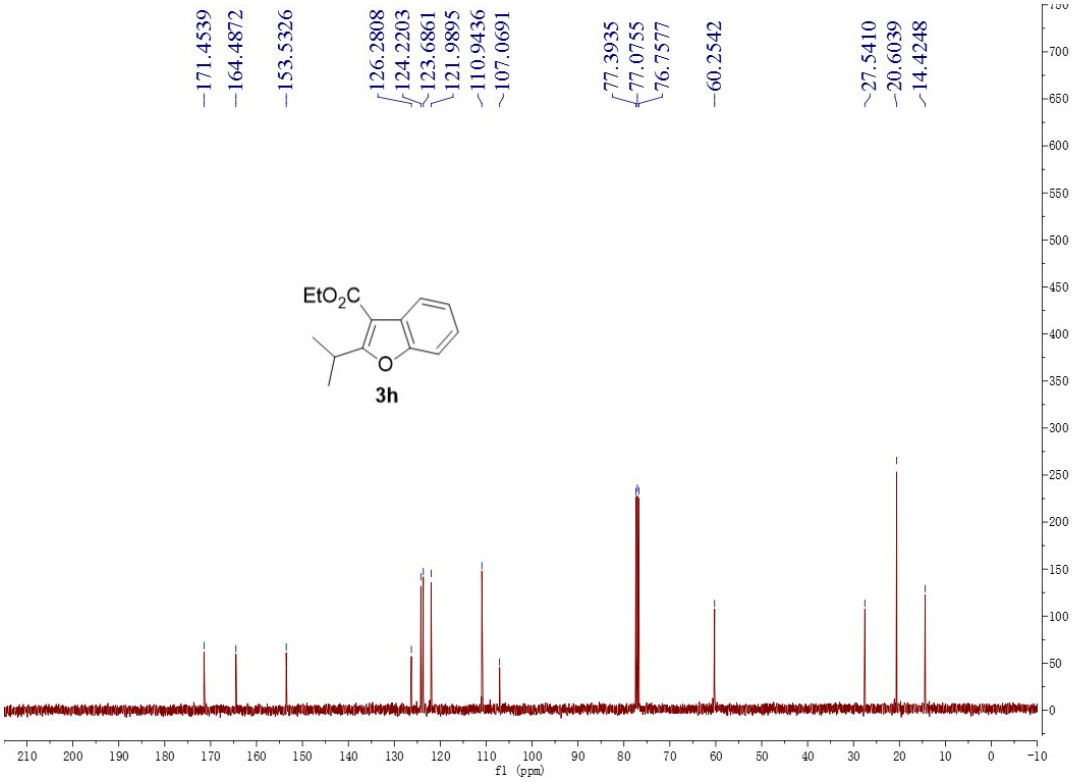


Ethyl 2-isopropylbenzofuran-3-carboxylate (3h)

^{1}H NMR (400 MHz, $CDCl_3$)

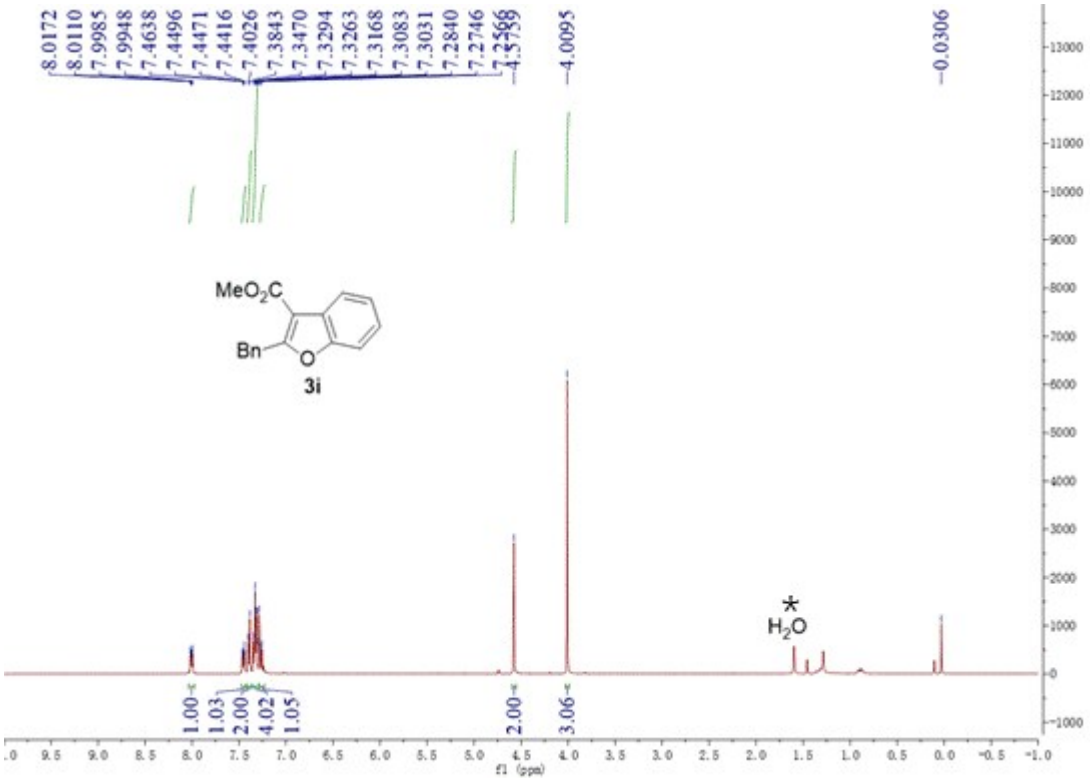


^{13}C NMR (101 MHz, $CDCl_3$)

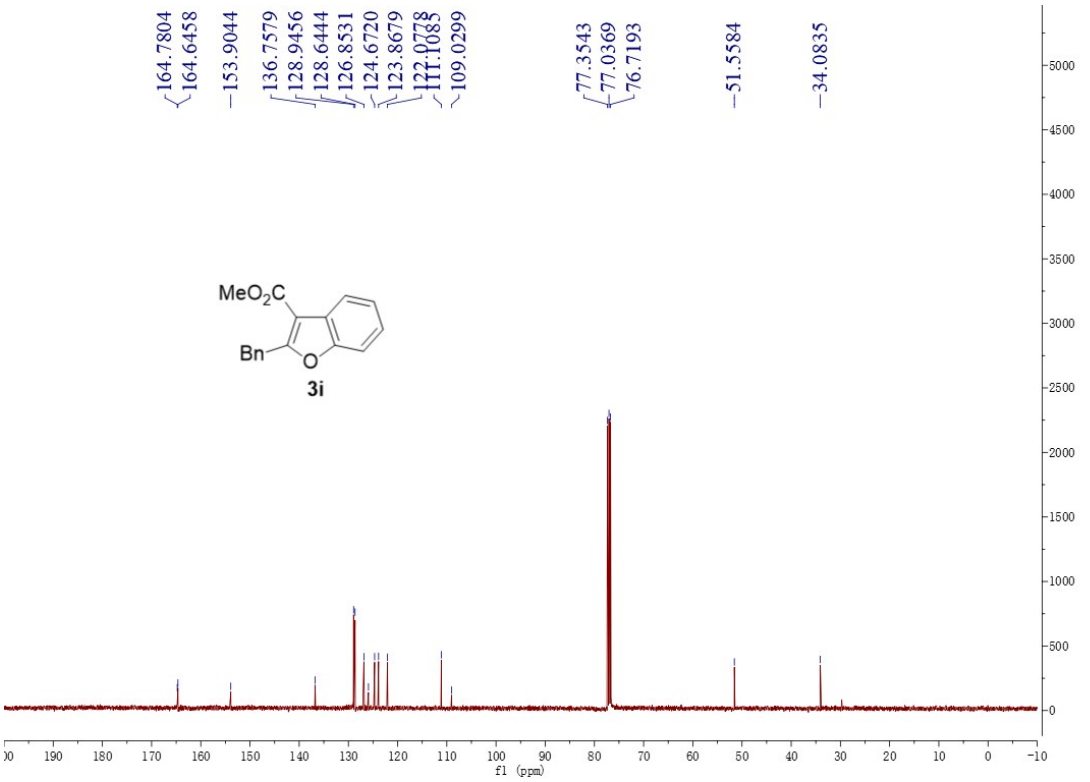


Methyl 2-benzylbenzofuran-3-carboxylate (3i)

^{1}H NMR (400 MHz, $CDCl_3$)

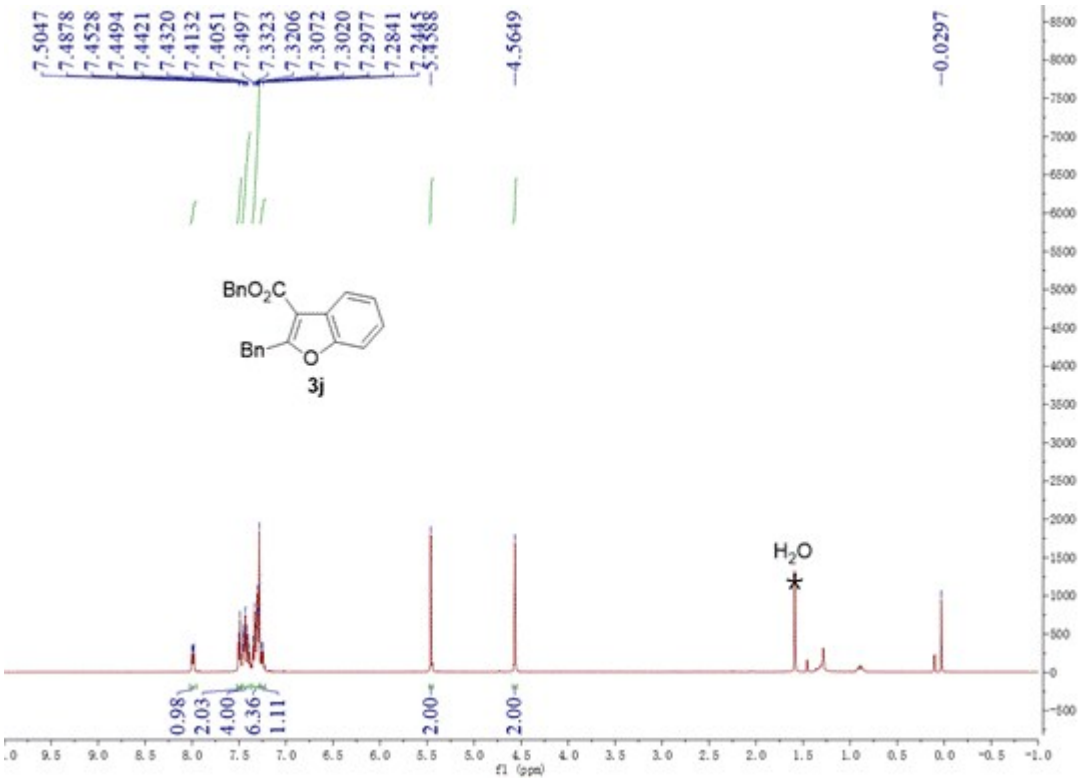


^{13}C NMR (101 MHz, $CDCl_3$)

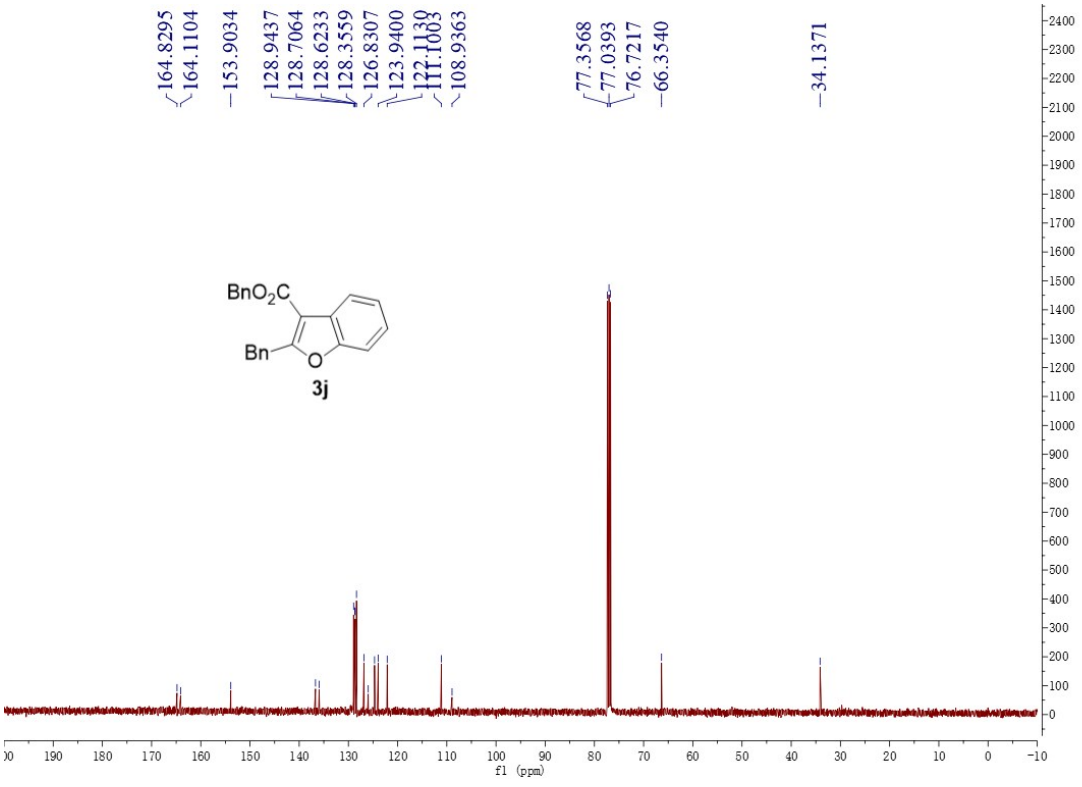


Methyl 2-benzylbenzofuran-3-carboxylate (3j)

^{1}H NMR (400 MHz, $CDCl_3$)

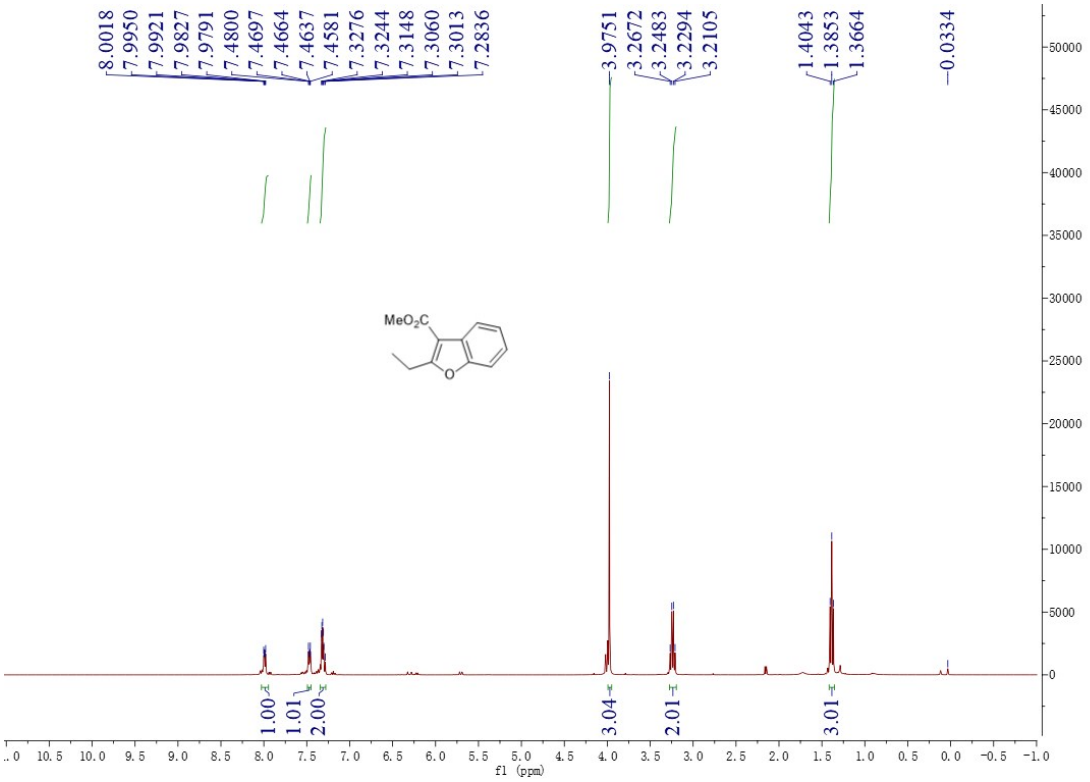


^{13}C NMR (101 MHz, $CDCl_3$)

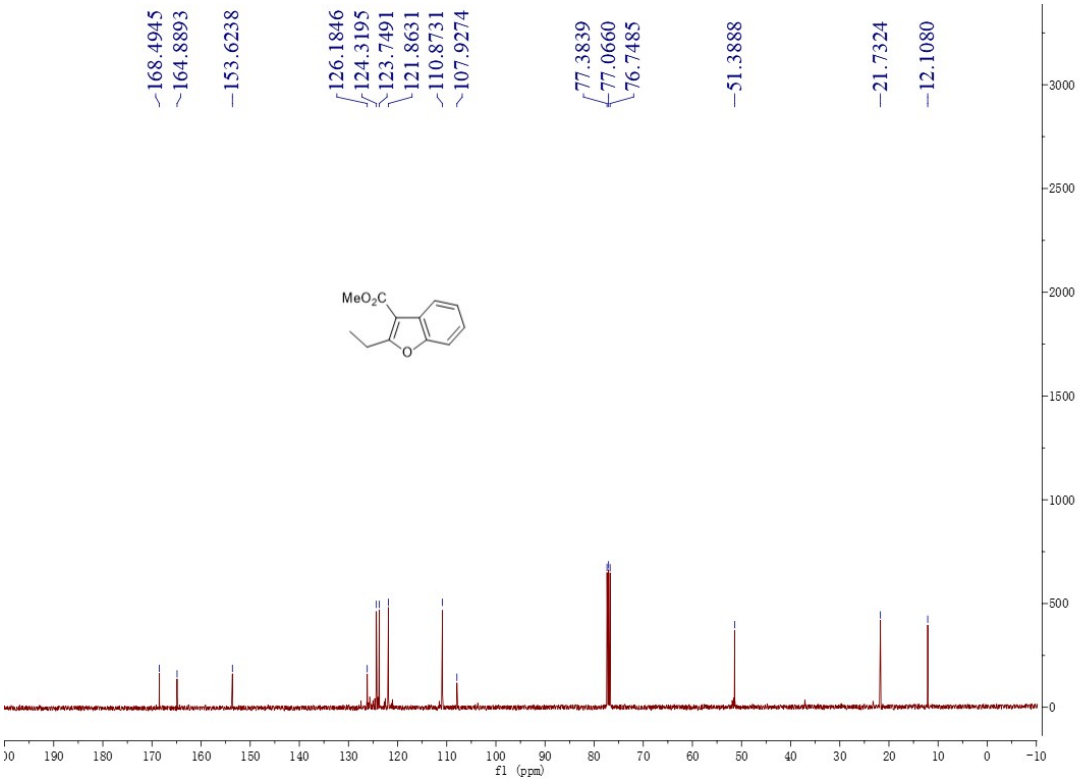


Methyl 2-ethylbenzofuran-3-carboxylate (3k)

^{1}H NMR (400 MHz, $CDCl_3$)

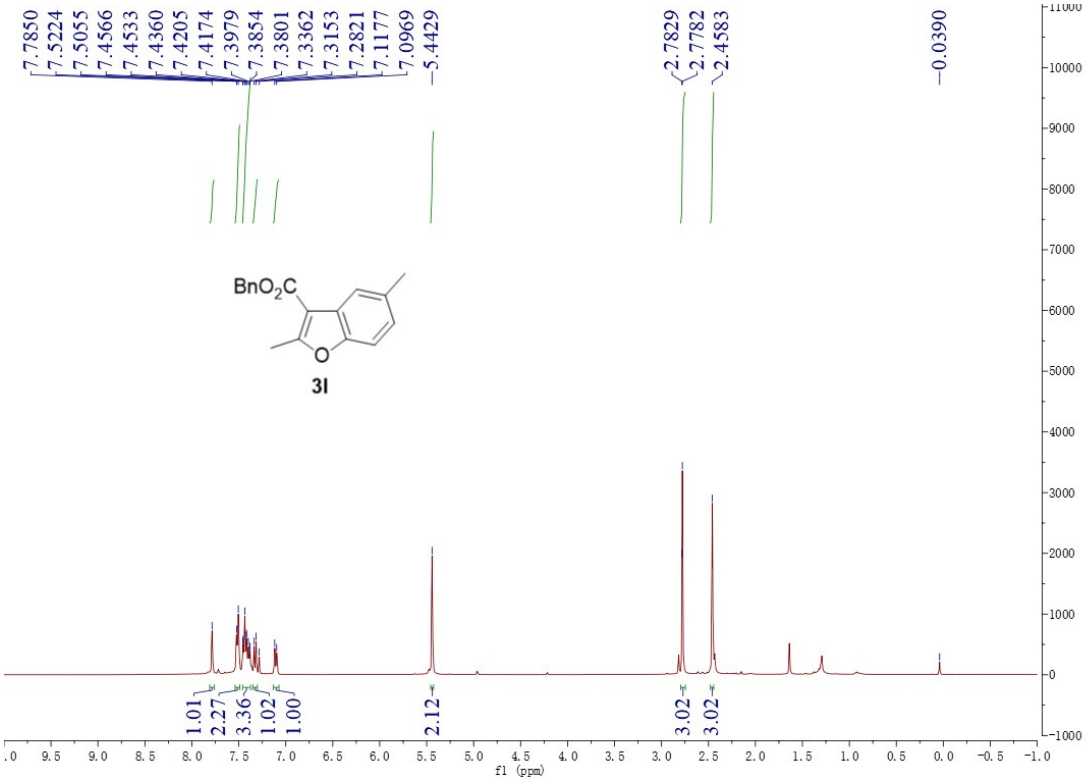


^{13}C NMR (101 MHz, $CDCl_3$)

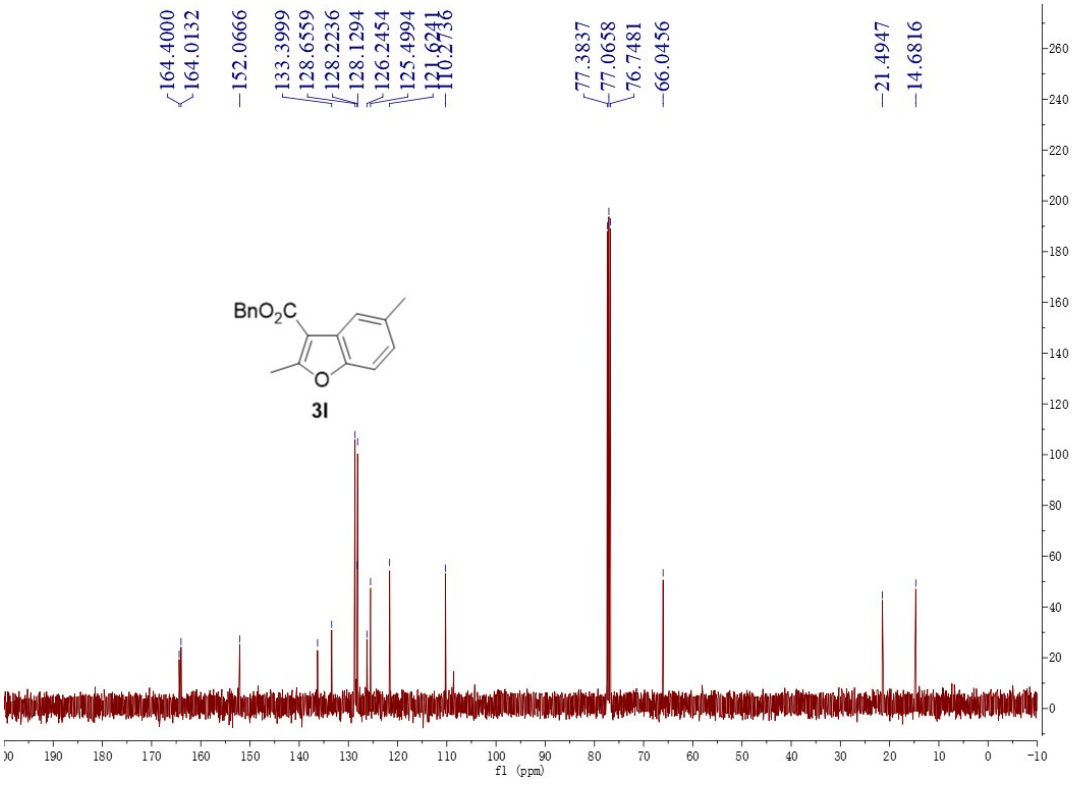


Benzyl 2,5-dimethylbenzofuran-3-carboxylate (3l)

^{1}H NMR (400 MHz, $CDCl_3$)

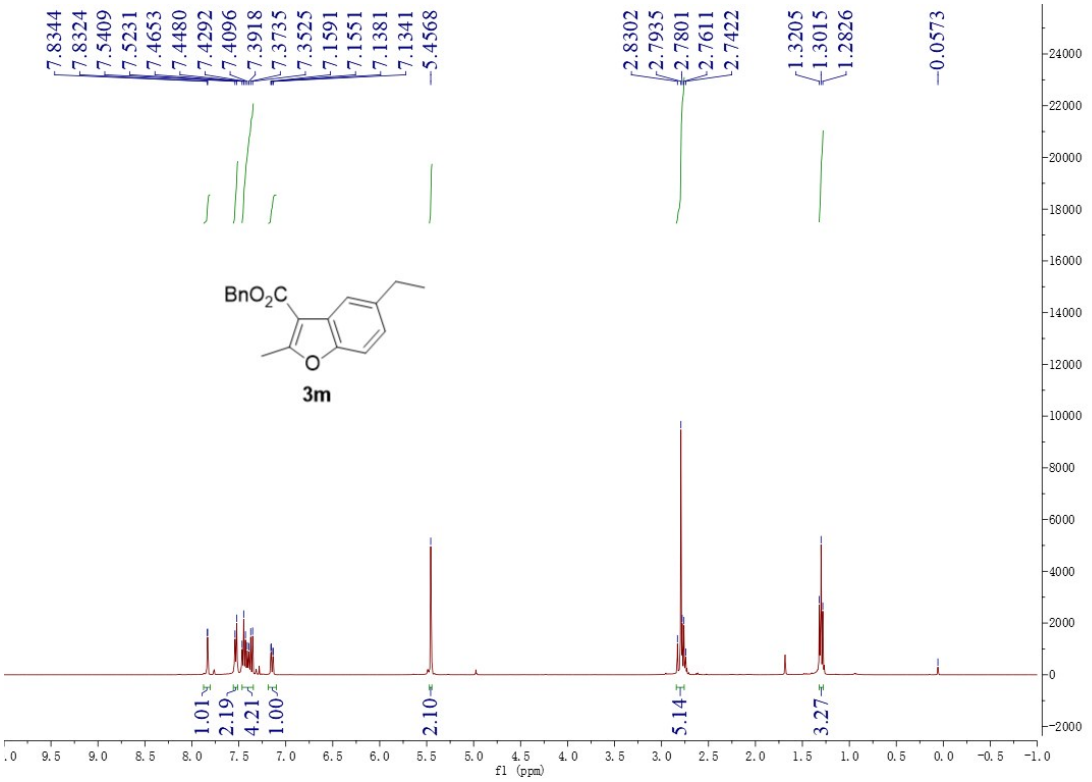


^{13}C NMR (101 MHz, $CDCl_3$)

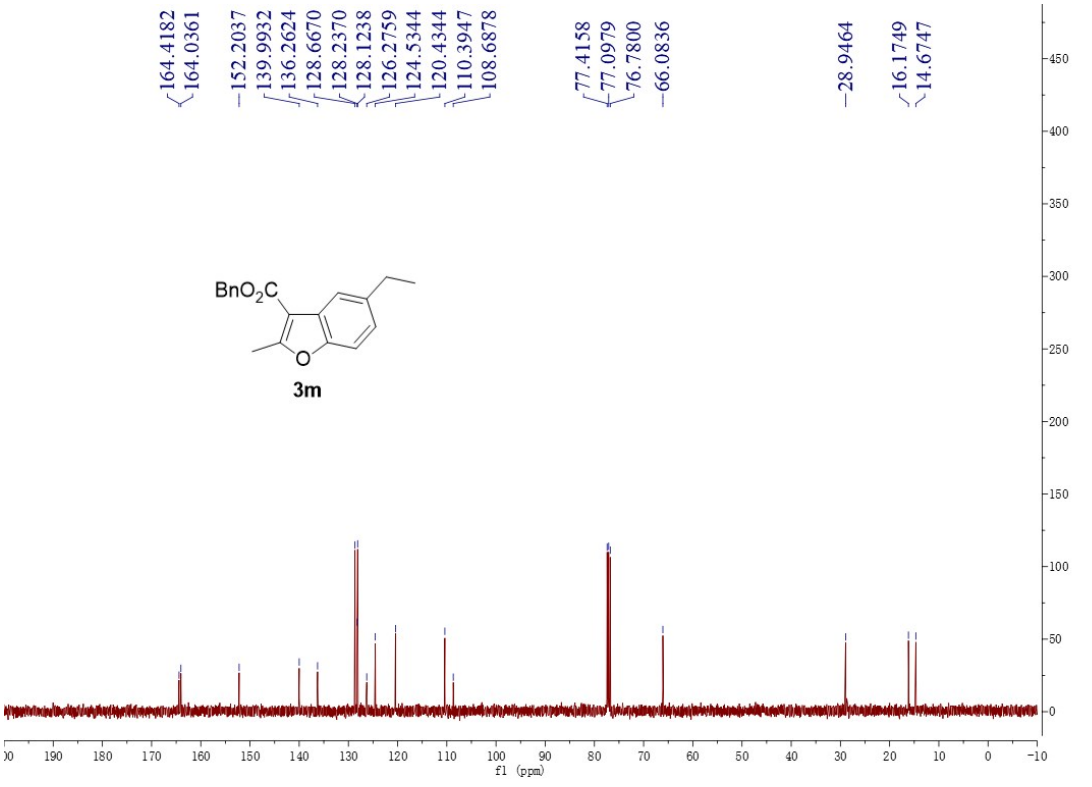


Benzyl 5-ethyl-2-methylbenzofuran-3-carboxylate (3m)

^{1}H NMR (400 MHz, $CDCl_3$)

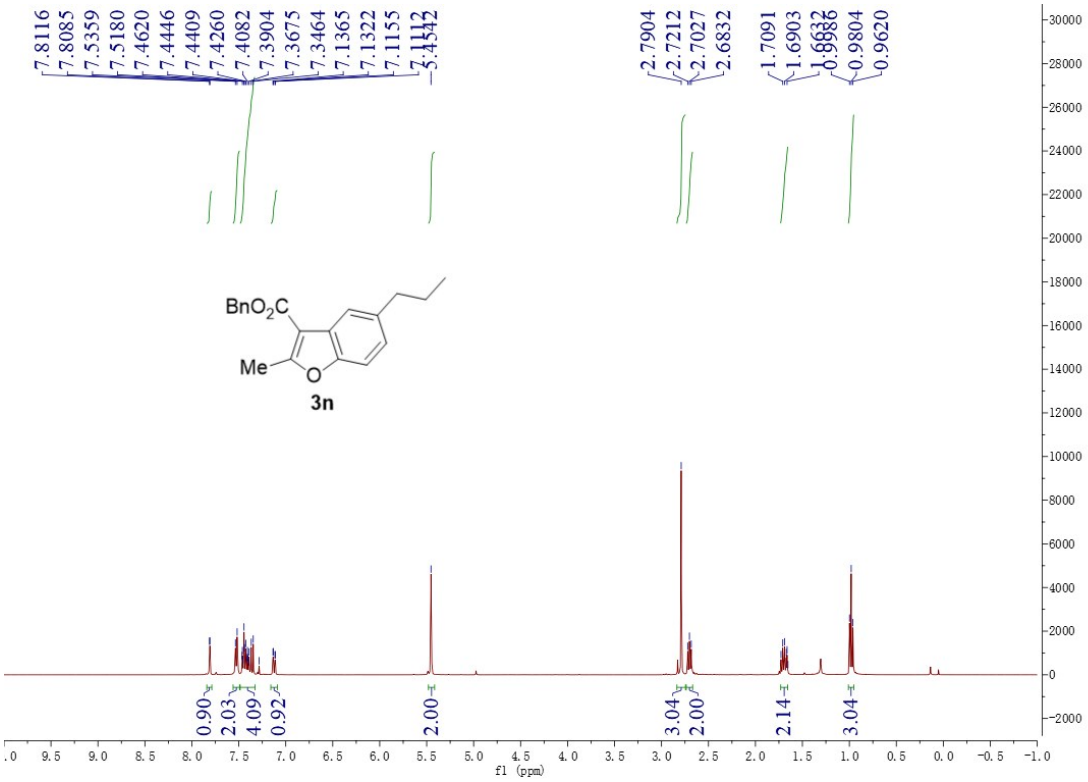


^{13}C NMR (101 MHz, $CDCl_3$)

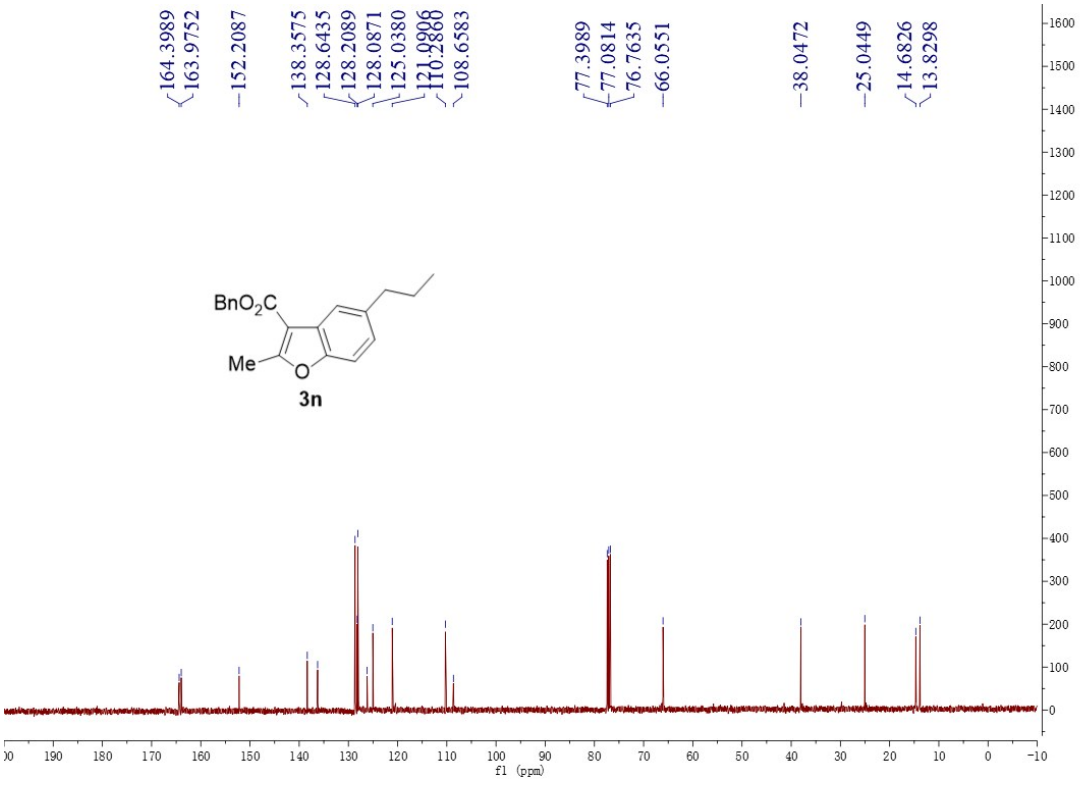


Benzyl 2-methyl-5-propylbenzofuran-3-carboxylate (3n)

^{1}H NMR (400 MHz, $CDCl_3$)

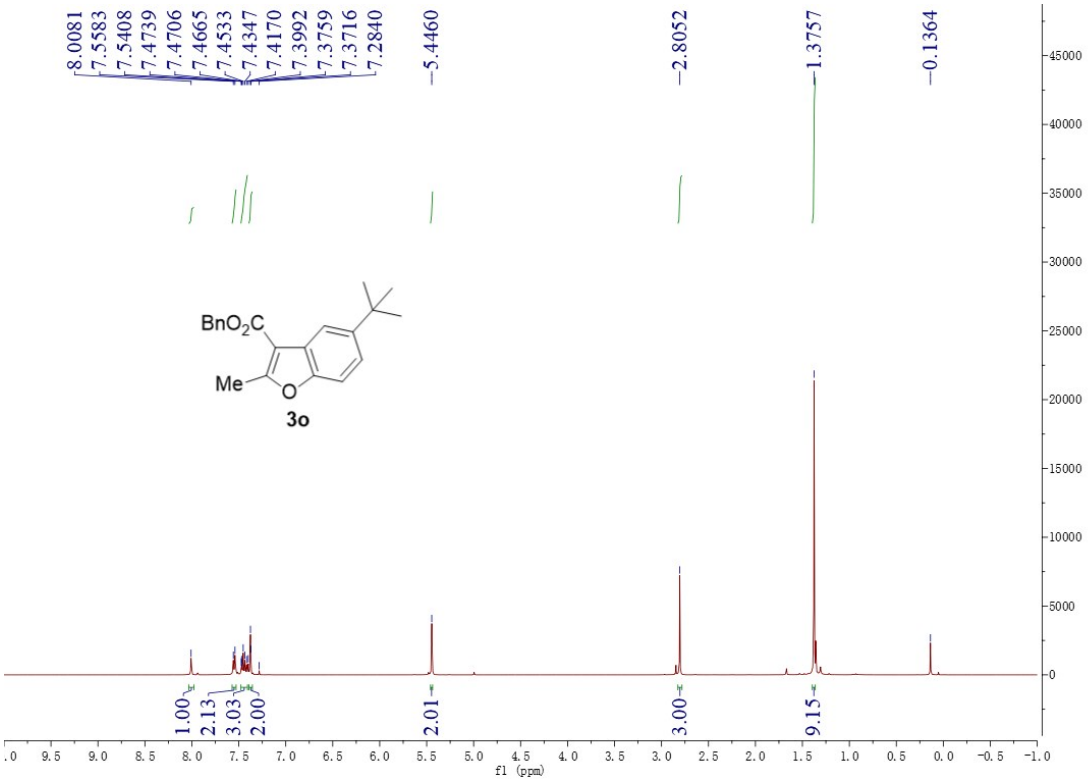


^{13}C NMR (101 MHz, $CDCl_3$)

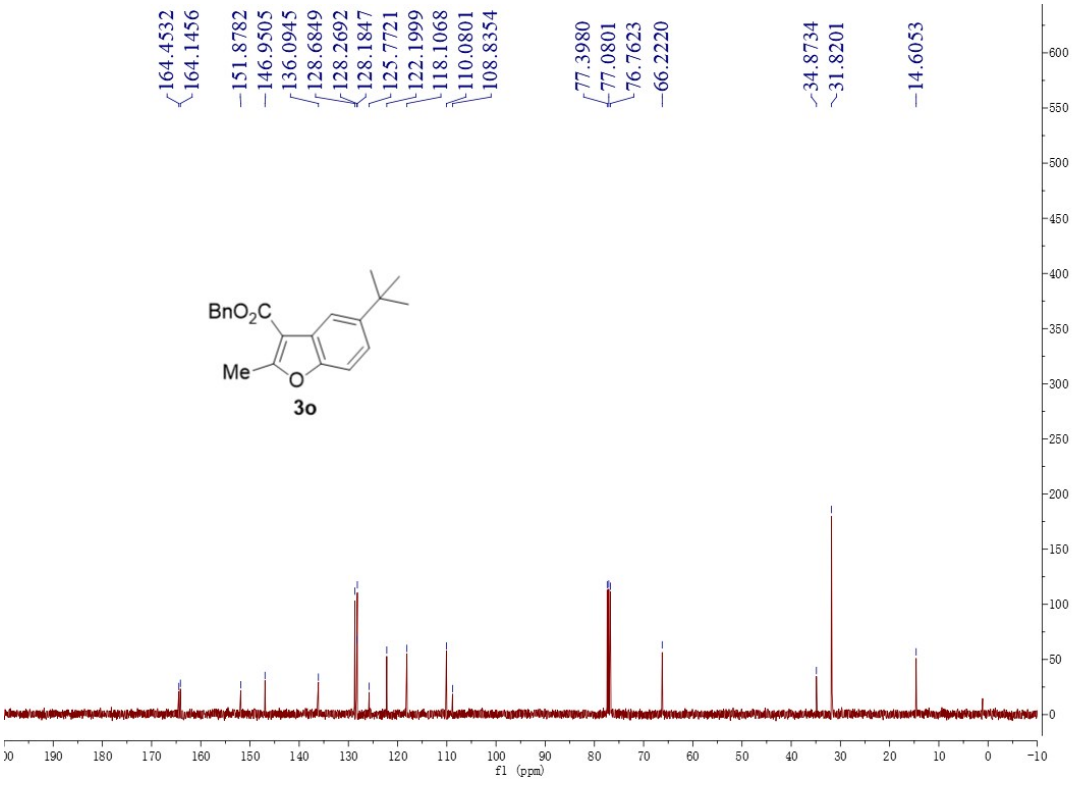


Benzyl 5-(tert-butyl)-2-methylbenzofuran-3-carboxylate (3o)

^{1}H NMR (400 MHz, $CDCl_3$)

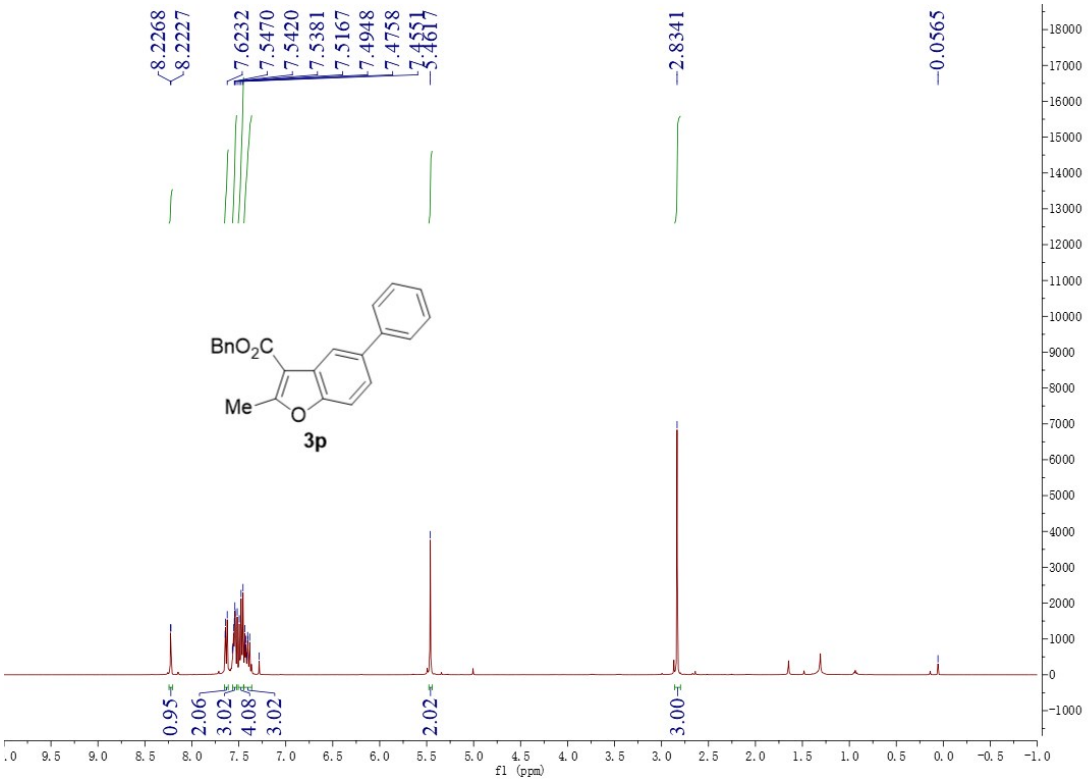


^{13}C NMR (101 MHz, $CDCl_3$)

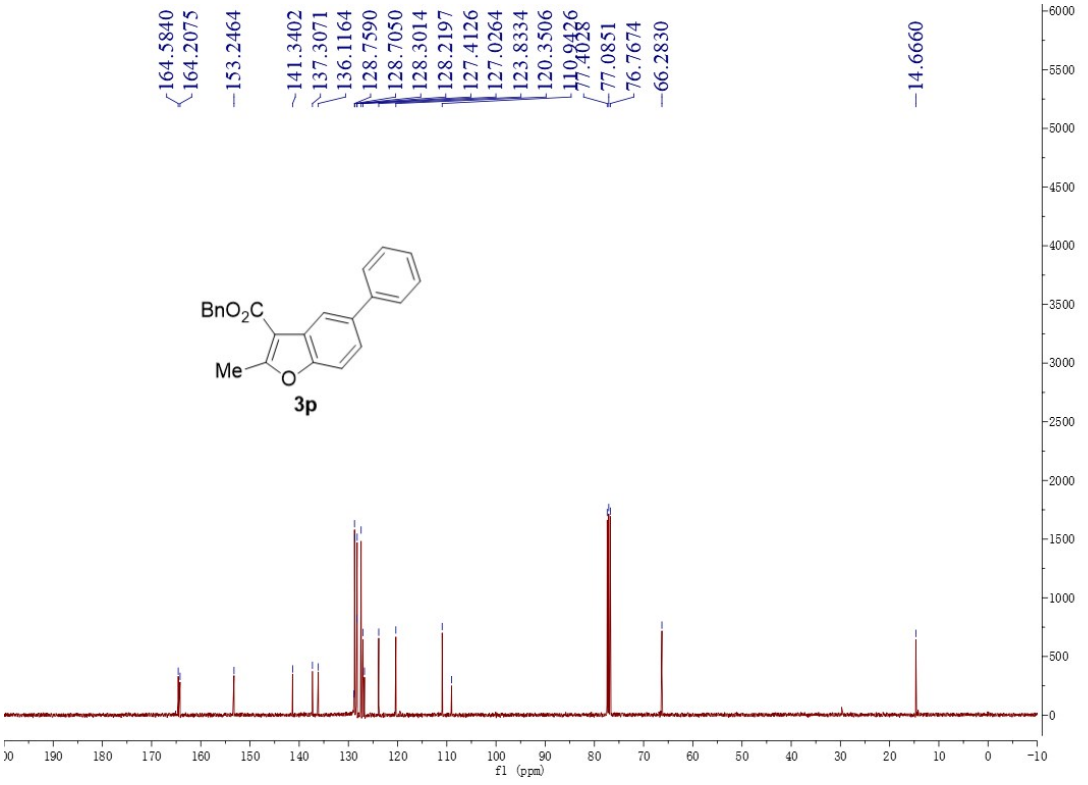


Benzyl 2-methyl-5-phenylbenzofuran-3-carboxylate (3p)

^{1}H NMR (400 MHz, $CDCl_3$)

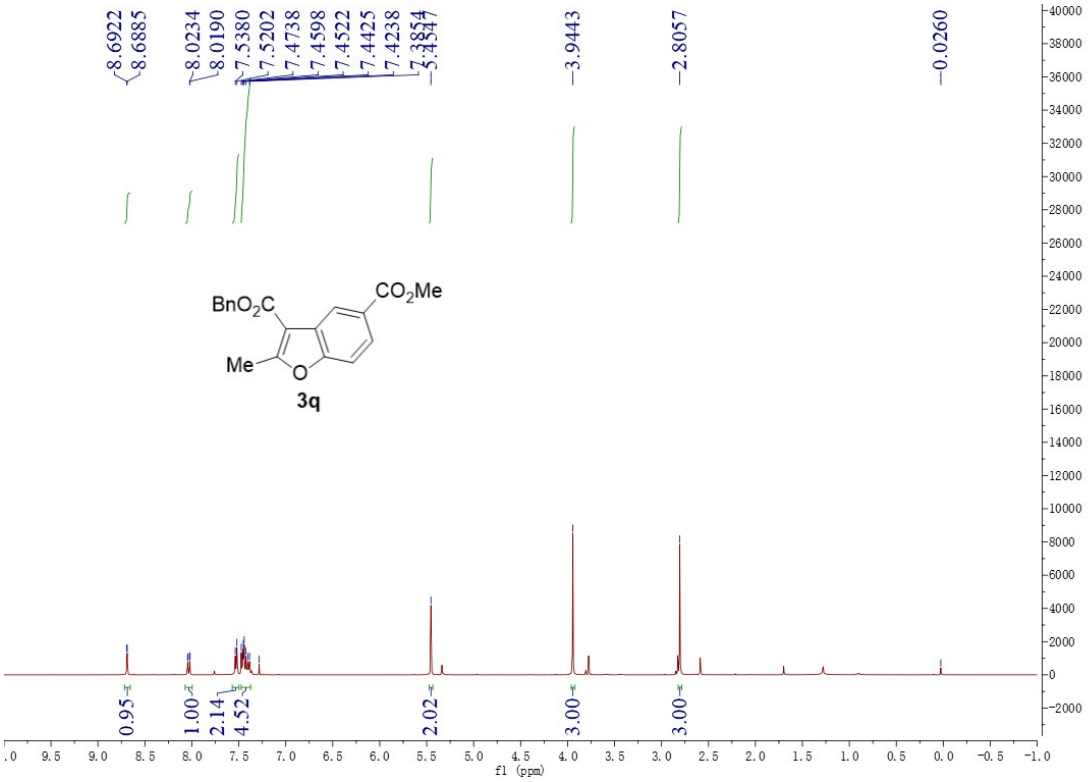


^{13}C NMR (101 MHz, $CDCl_3$)

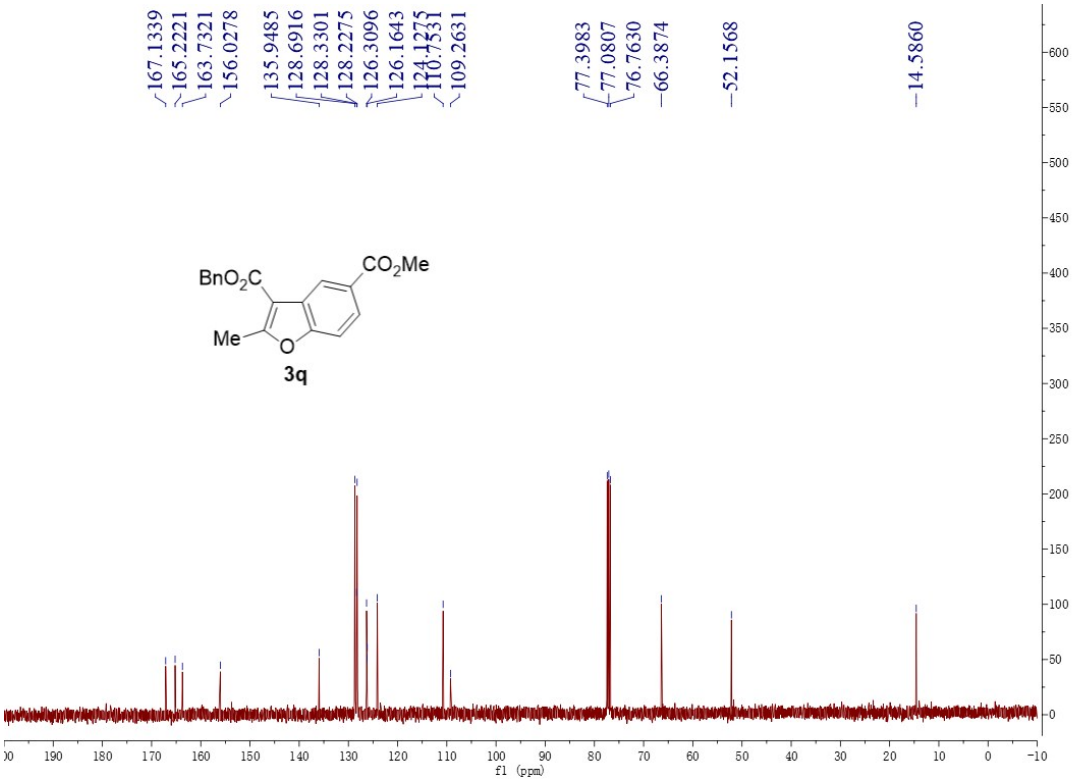


3-Benzyl 5-methyl 2-methylbenzofuran-3,5-dicarboxylate (3q)

^{1}H NMR (400 MHz, $CDCl_3$)

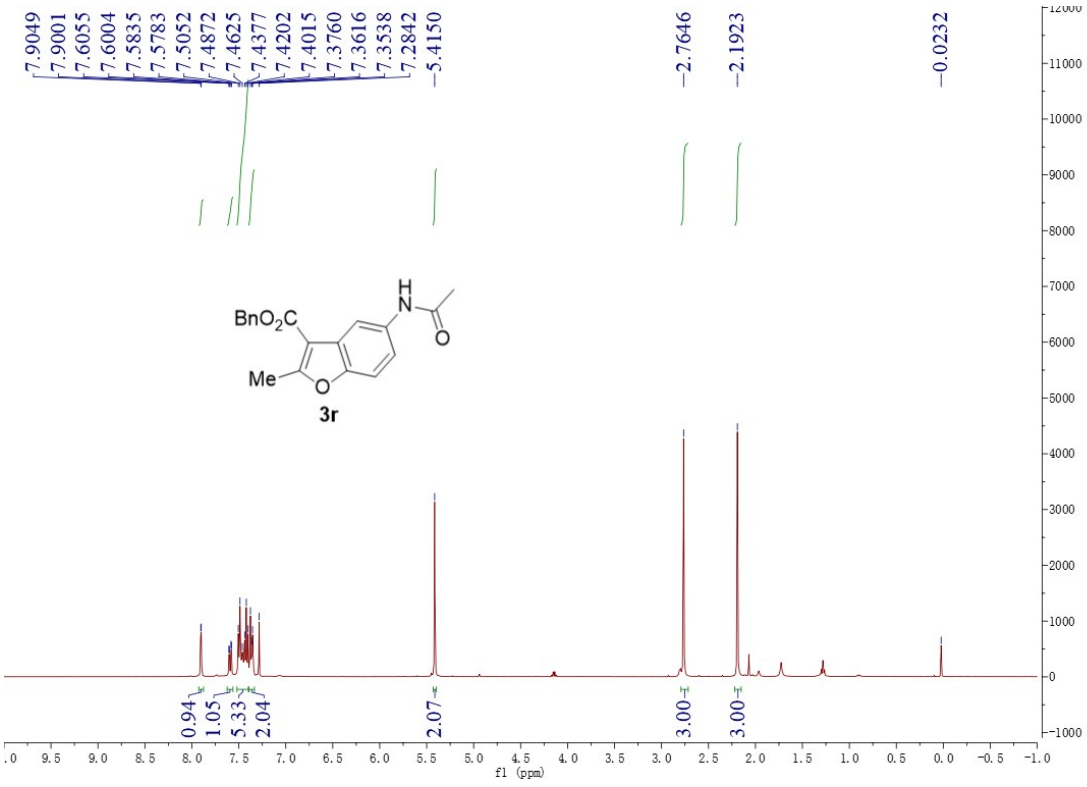


^{13}C NMR (101 MHz, $CDCl_3$)

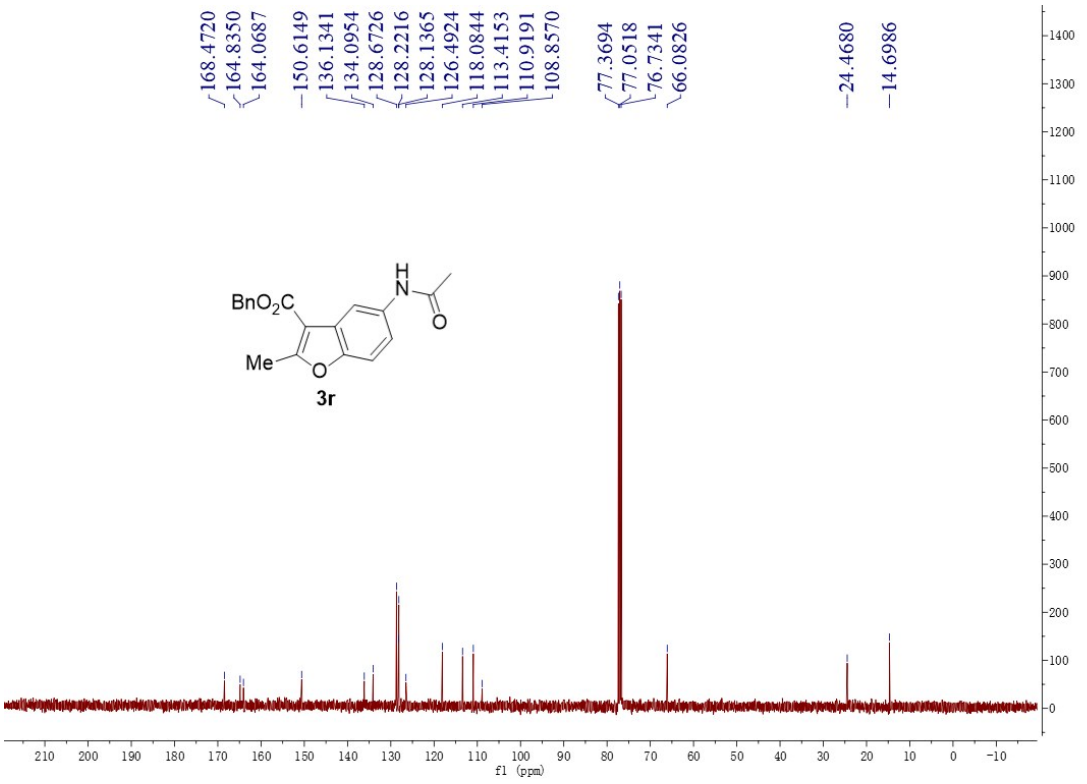


Benzyl 5-acetamido-2-methylbenzofuran-3-carboxylate (3r)

^{1}H NMR (400 MHz, $CDCl_3$)

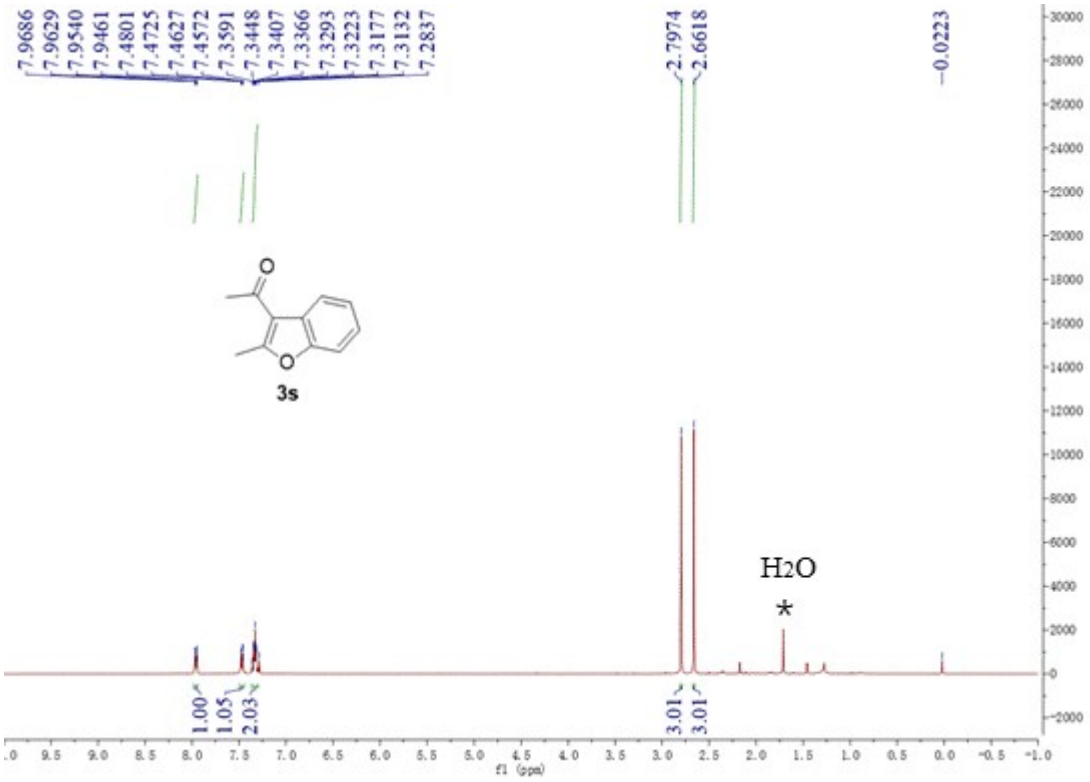


^{13}C NMR (101 MHz, $CDCl_3$)

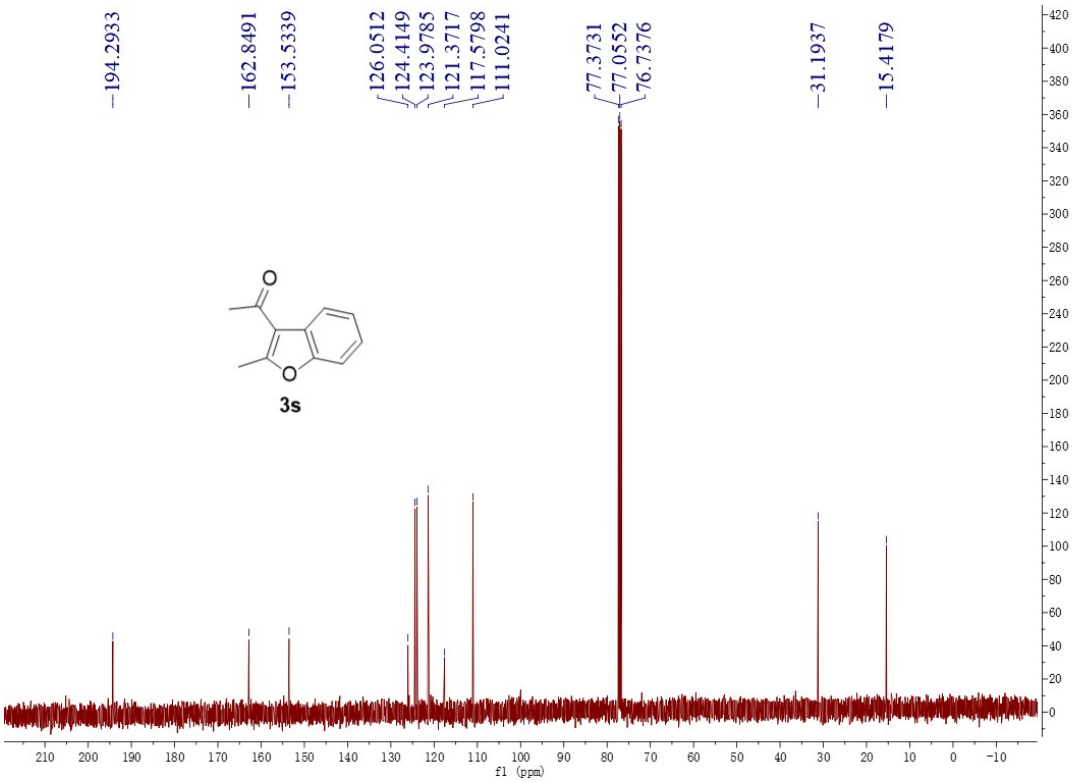


1-(2-Methylbenzofuran-3-yl)ethan-1-one (3s)

^{1}H NMR (400 MHz, $CDCl_3$)

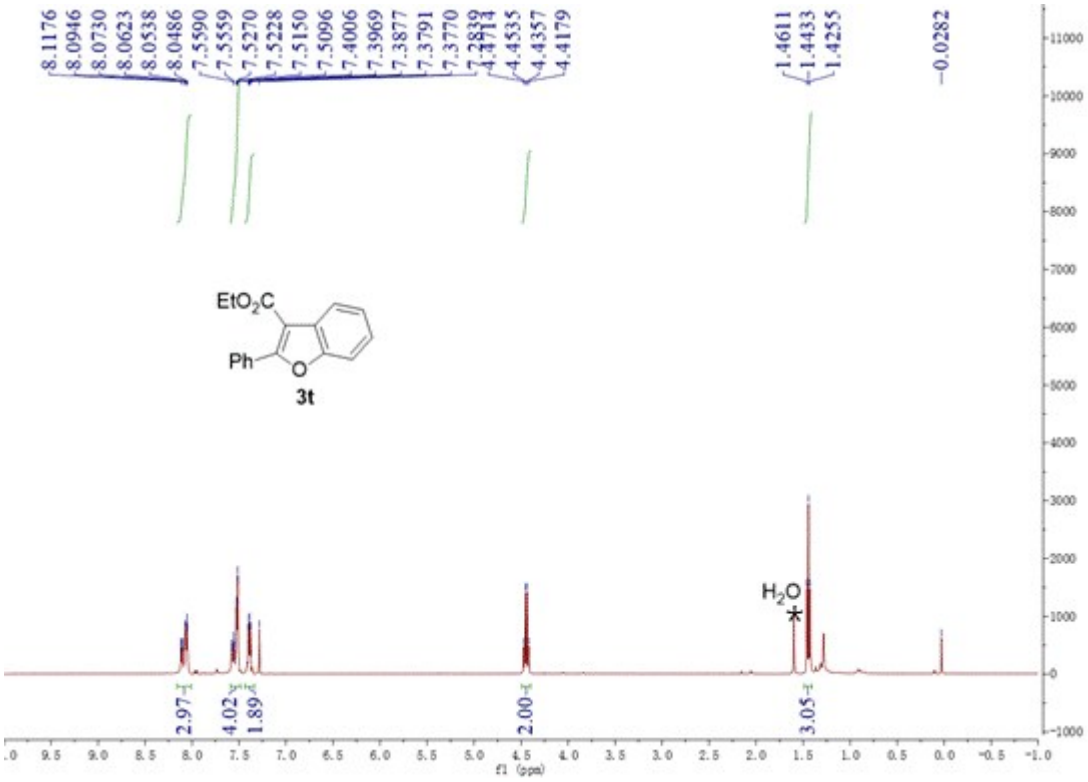


^{13}C NMR (101 MHz, $CDCl_3$)

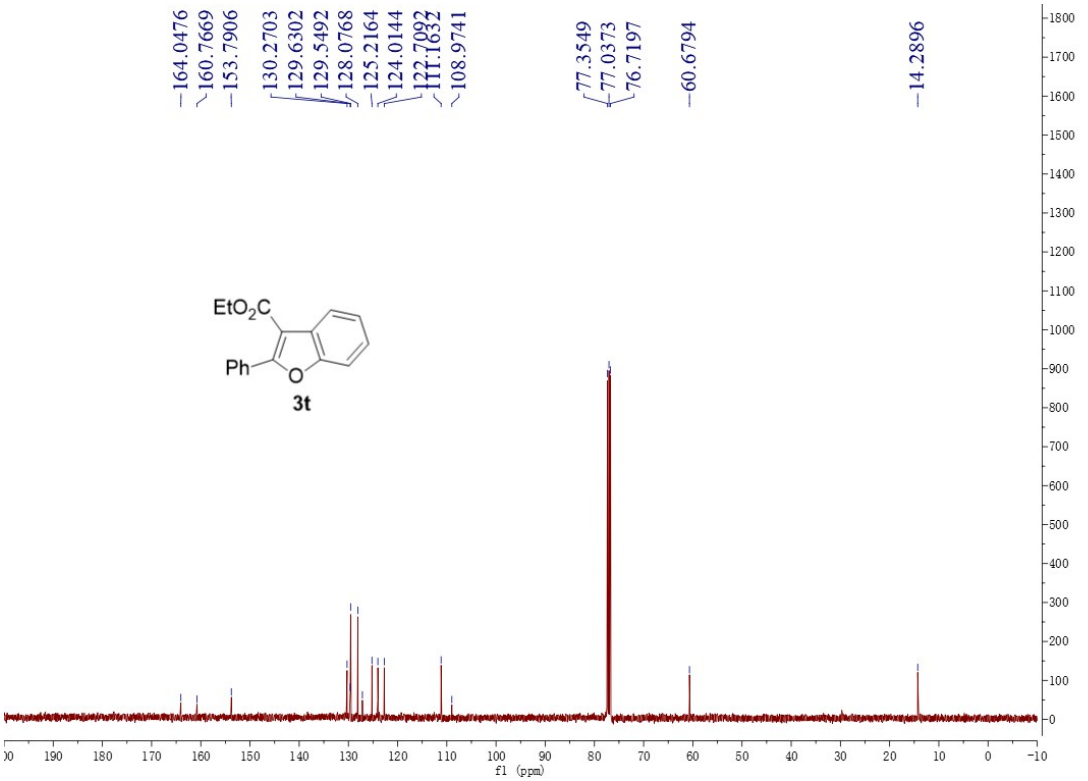


Ethyl 2-phenylbenzofuran-3-carboxylate (3t)

^{1}H NMR (400 MHz, $CDCl_3$)

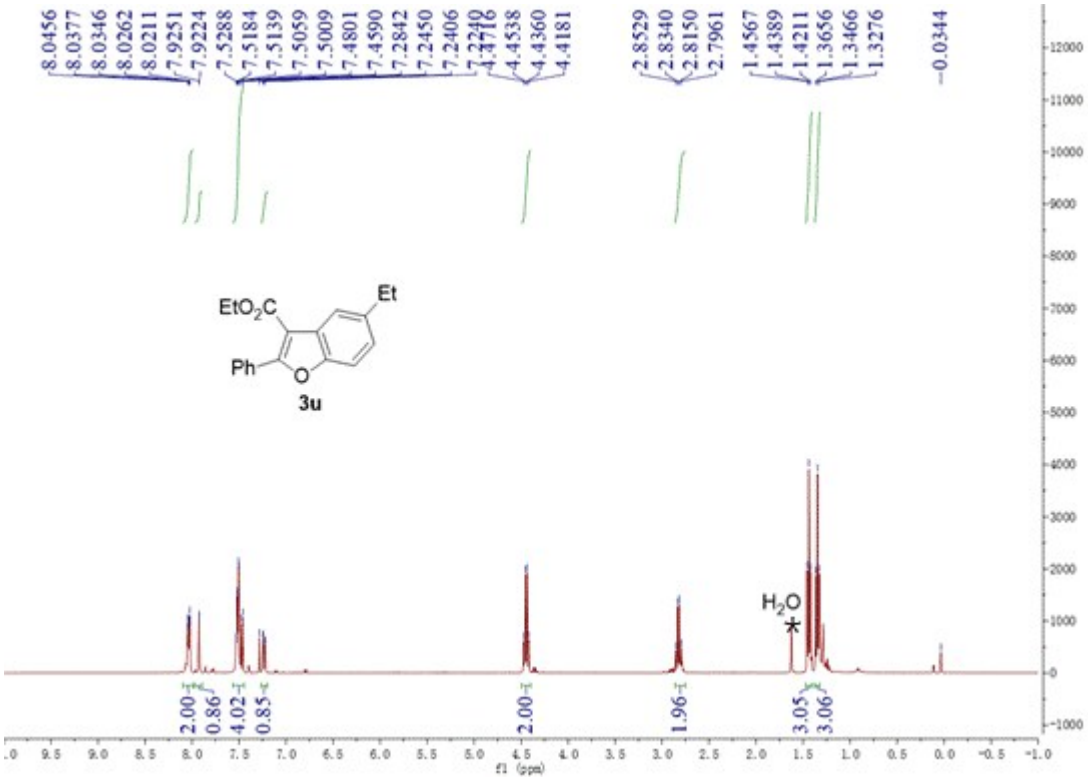


^{13}C NMR (101 MHz, $CDCl_3$)

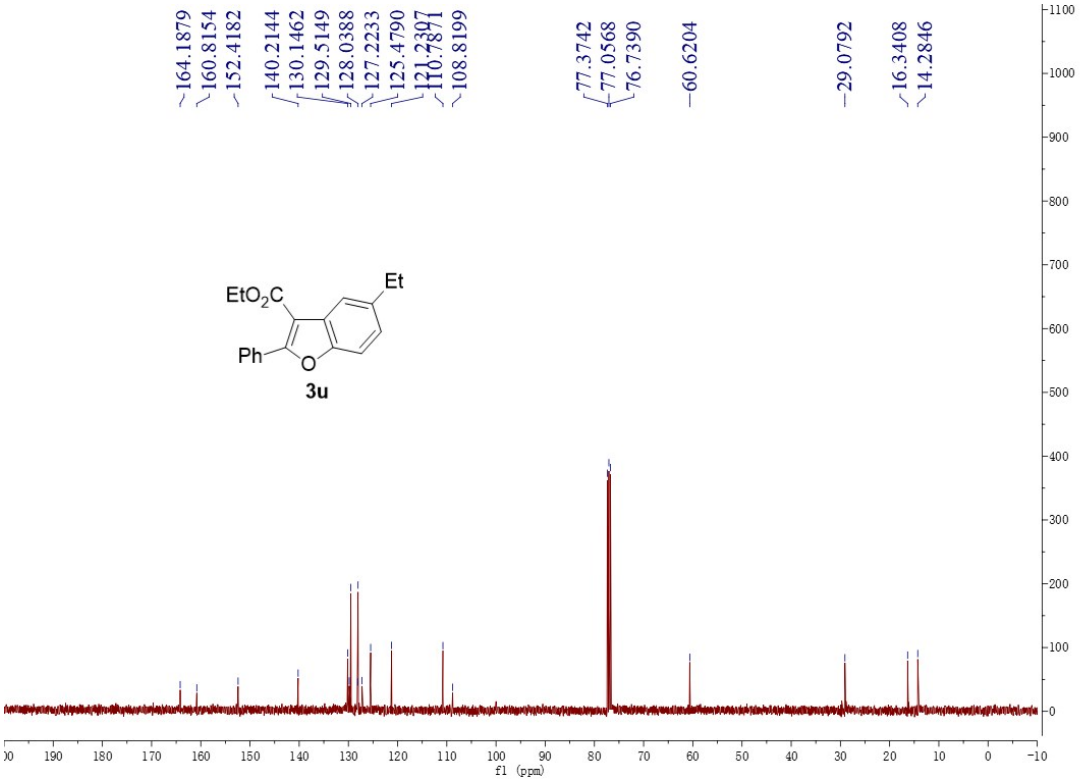


Ethyl 5-ethyl-2-phenylbenzofuran-3-carboxylate (3u)

^{1}H NMR (400 MHz, $CDCl_3$)

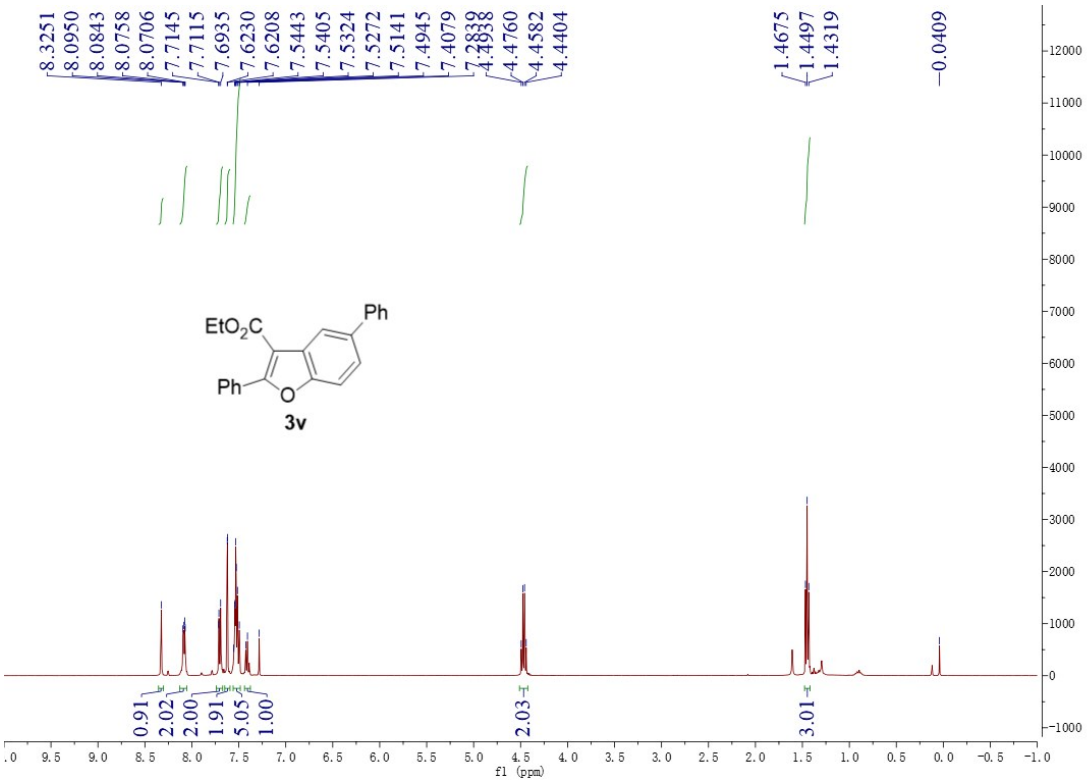


^{13}C NMR (101 MHz, $CDCl_3$)

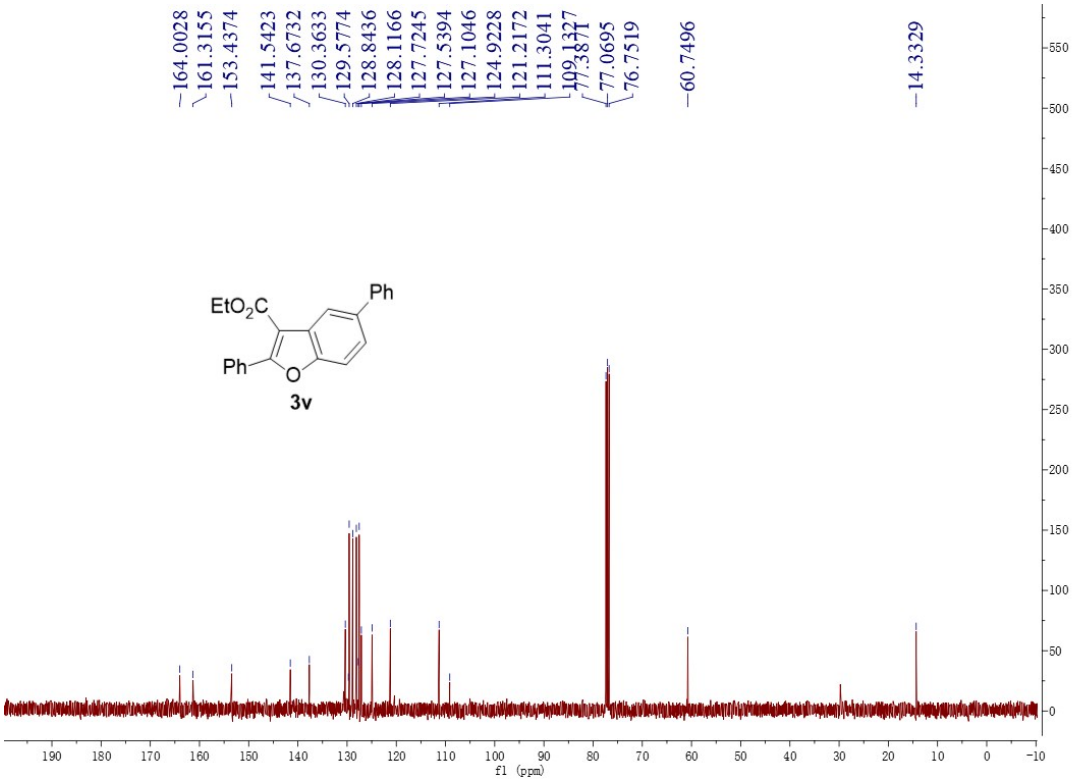


Ethyl 2,5-diphenylbenzofuran-3-carboxylate (3v)

^{1}H NMR (400 MHz, $CDCl_3$)

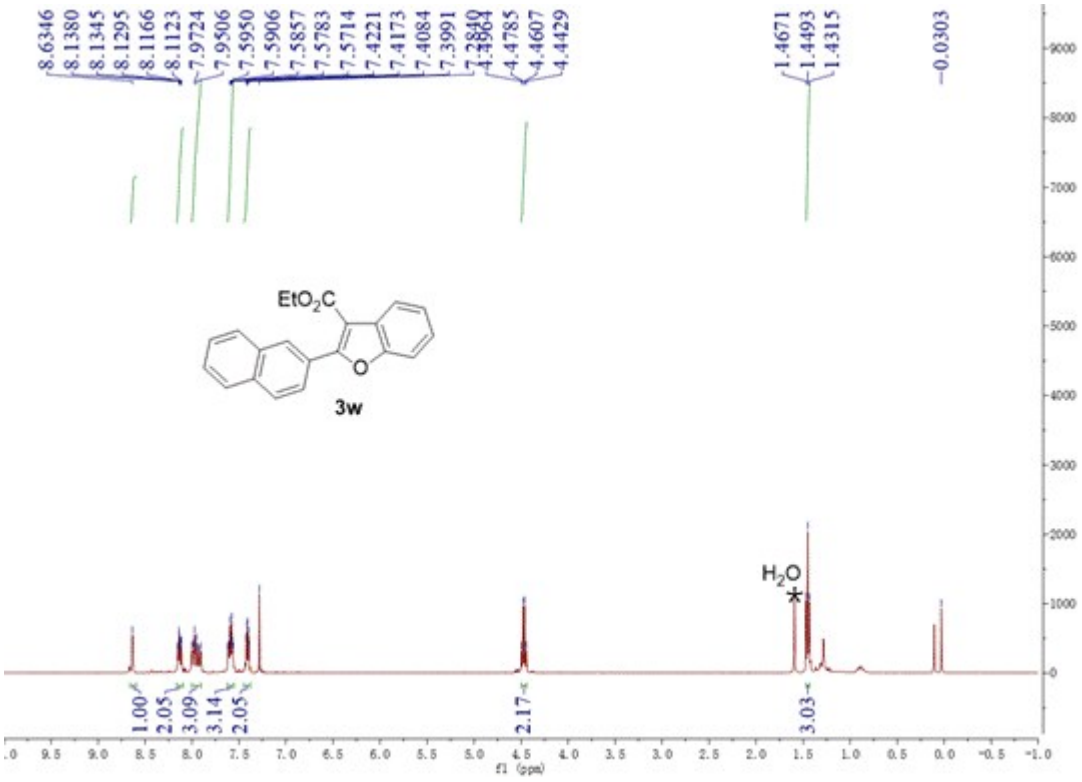


^{13}C NMR (101 MHz, $CDCl_3$)

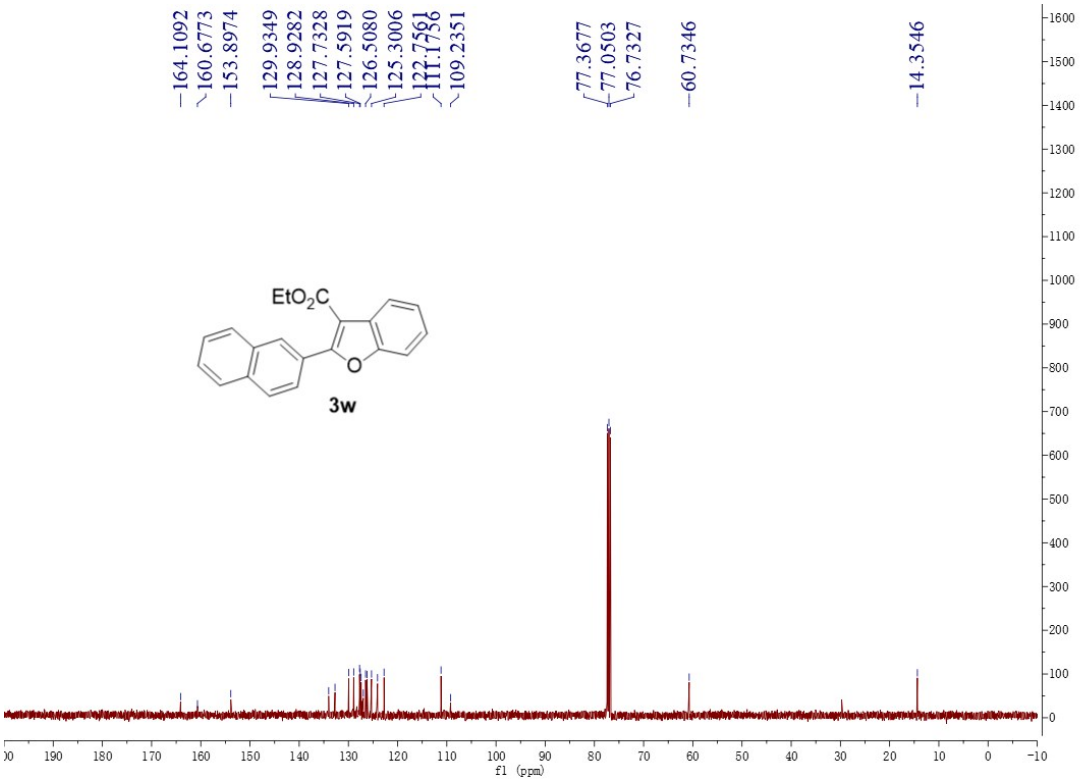


Ethyl 2-(naphthalen-2-yl)benzofuran-3-carboxylate (3w)

^{1}H NMR (400 MHz, $CDCl_3$)

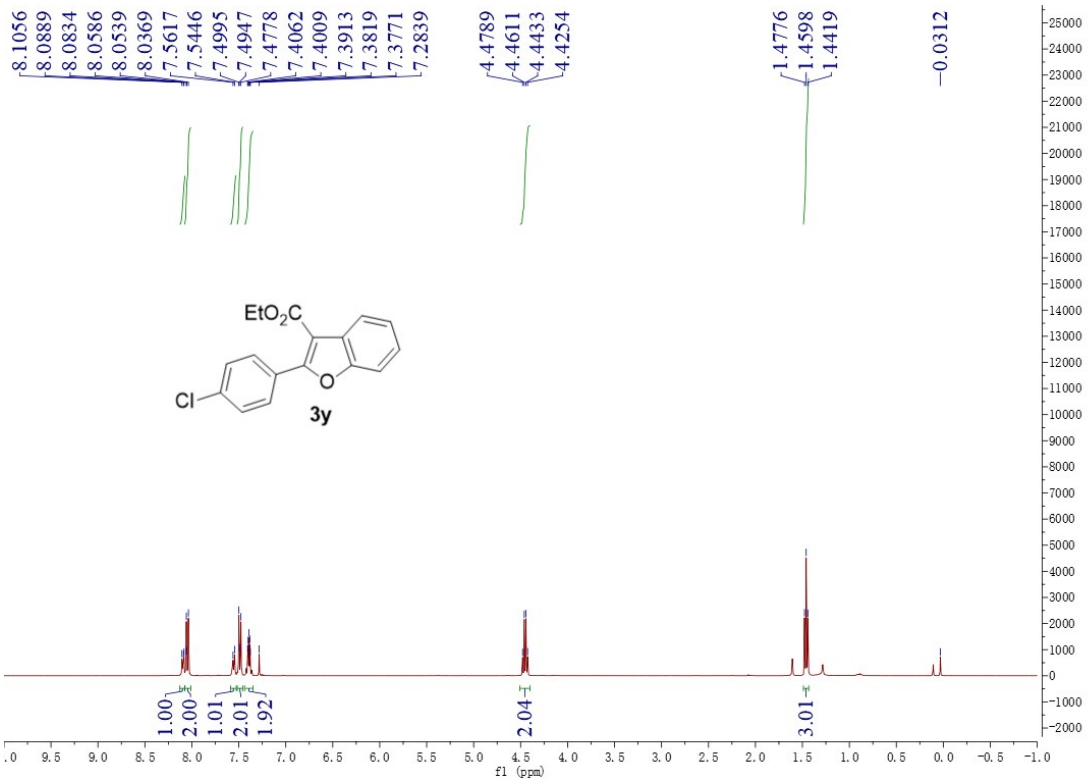


^{13}C NMR (101 MHz, $CDCl_3$)

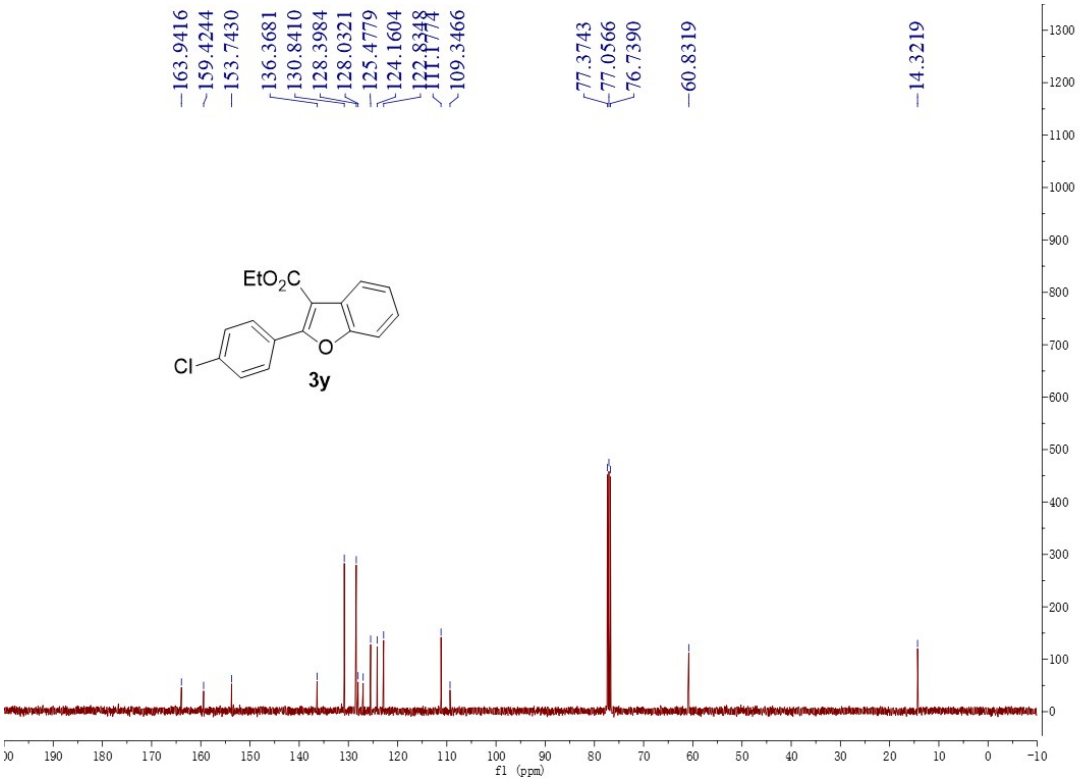


Ethyl 2-(4-chlorophenyl)benzofuran-3-carboxylate (3y)

^{1}H NMR (400 MHz, $CDCl_3$)

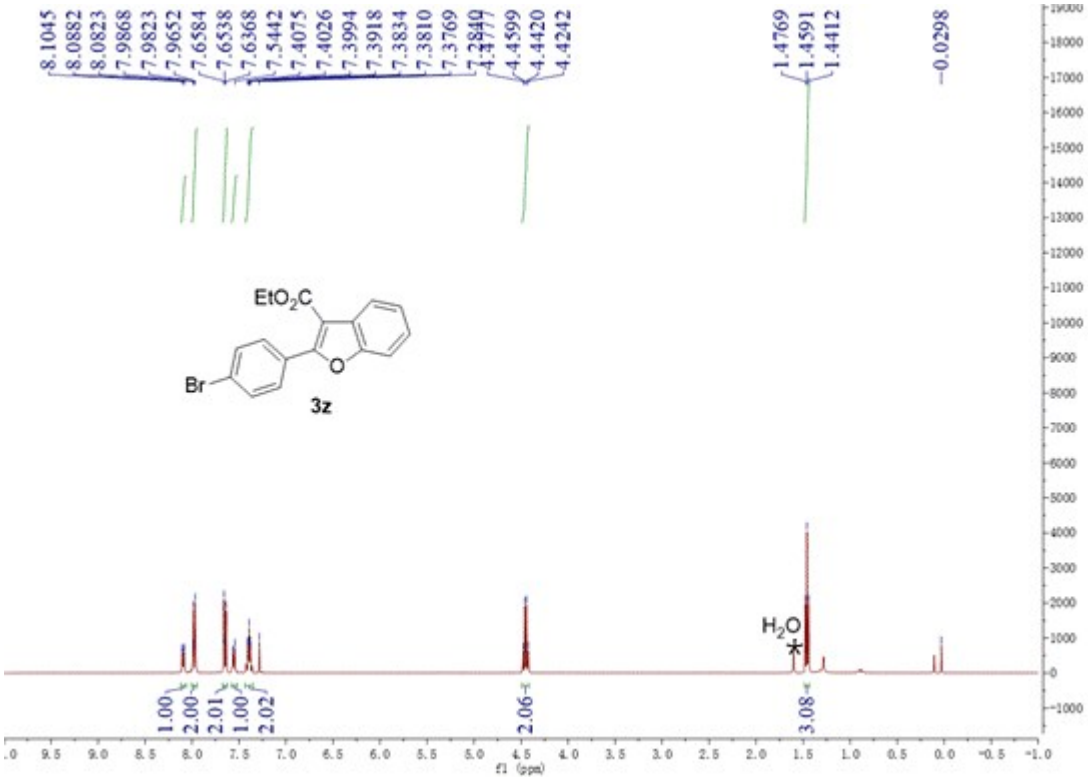


^{13}C NMR (101 MHz, $CDCl_3$)

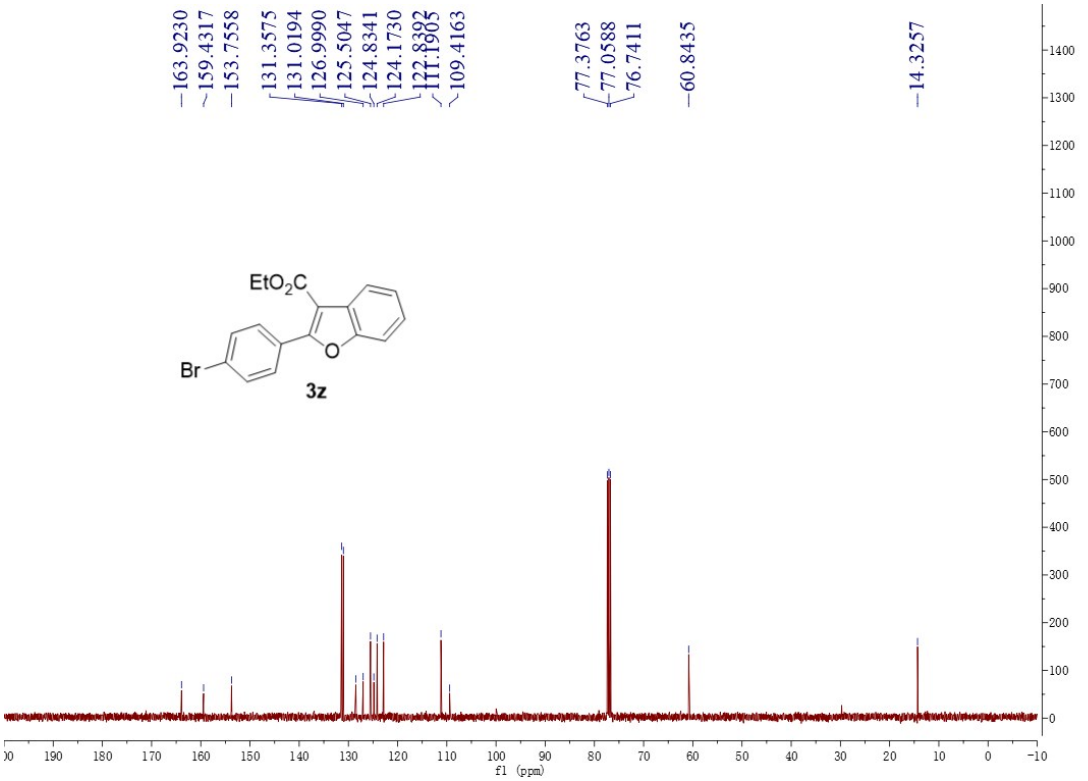


Ethyl 2-(4-bromophenyl)benzofuran-3-carboxylate (3z)

^{1}H NMR (400 MHz, $CDCl_3$)

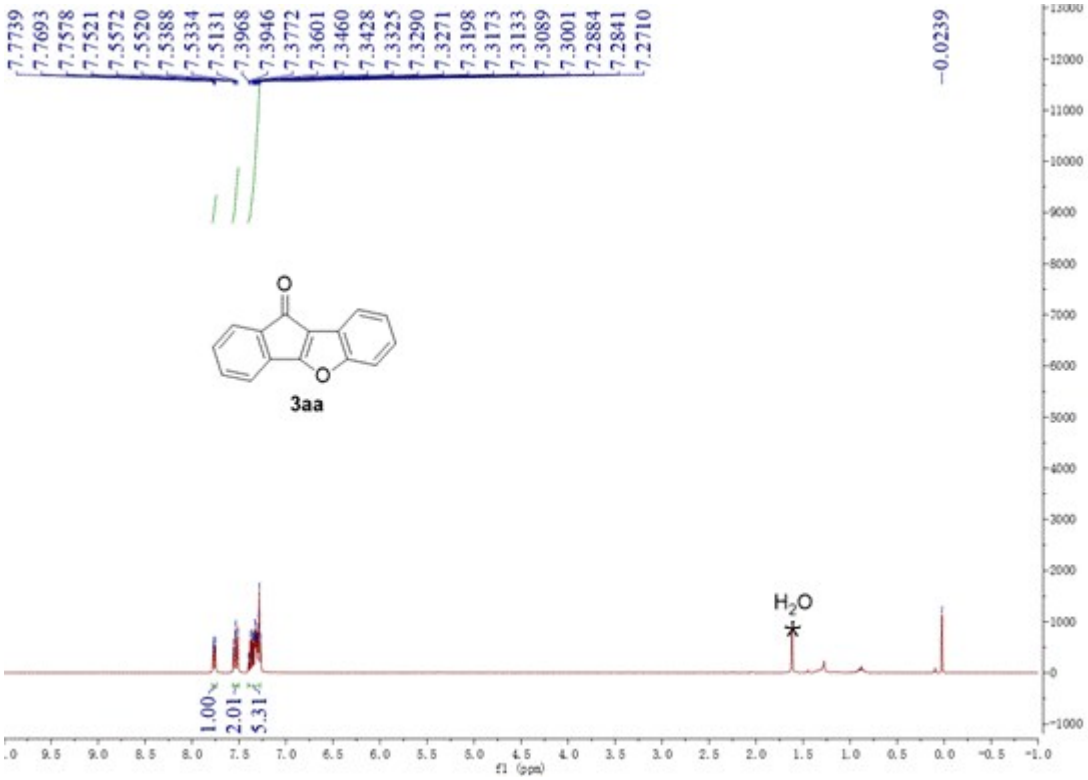


^{13}C NMR (101 MHz, $CDCl_3$)



10*H*-Indeno[1,2-*b*]benzofuran-10-one (3aa)

^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)