Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

for

Chiral aldehyde induced tandem conjugated addition-lactamization reaction for constructing full-substituted pyroglutamic acids

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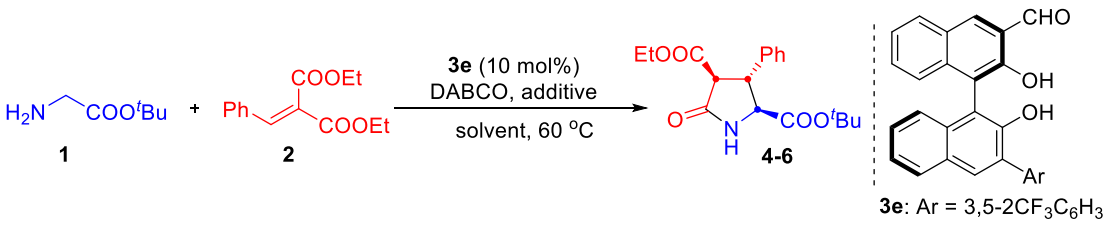
1. General data

Solvents for reactions were dried appropriately before use: toluene, THF and Et_2O were dried by refluxing with sodium and benzophenone as an indicator, CH_2Cl_2 and $CHCl_3$ were dried by refluxing with CaH_2. All other reagents were directly used as purchased from Aladdin, Adamas-beta® and Energy Chemical.

Unless otherwise noted, commercial reagents were used as received and all reactions were carried out directly in the air atmosphere. ^{1}H NMR and ^{13}C NMR spectra were recorded on Bruker Avance 600 MHz or 400 MHz spectrometer. Chemical shifts (δ) are reported in ppm from tetramethylsilane (TMS) with the solvent resonance as the internal standard. Proton signal multiplicities are given as s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), br (broad) or a combination of them. J-values are in Hz. HRMS (ESI-Q-TOF) spectra were recorded on Bruker Impact-II mass spectrometer. Enantiomer ratios were determined by HPLC (CHIRALPAK AD-H, IA-H, IF-H,OD-H columns were purchased from Daicel Chemical Industries, LTD). Optical rotations were determined at λ = 589 nm (sodium D line) by using a Rudolph-API automatic polar meter. α,β−unsaturated diester **2**[1][2], chiral aldehydes[3] were prepared according to the literature.

2. Reaction condition optimization

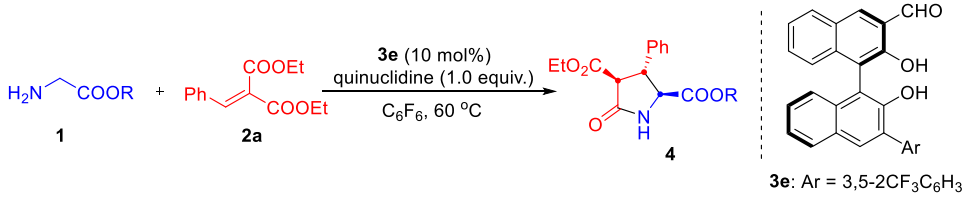
Table S1: Additives screening[a]



Entry	Additive	Solvent	Time(h)	Yield(%)[c]	ee[d]	dr[d]
1[b]	LiBr	$PhCH_3$	16.5	trace		
2[b]	$ZnCl_2$	$PhCH_3$	16.5	55	7	92:8
3[b]	$Cu(OTf)_2$	$PhCH_3$	16.5	trace		
4[b]	ZnF_2	$PhCH_3$	24	61	-18	79:12
5[b]	$ZnBr_2$	$PhCH_3$	28	56	-9	94:6
6[b]	$Zn(OAc)_2$	$PhCH_3$	28	68	0	62:38
7	$Zn(OAc)_2$	C_6F_6	11	45	5	81:19
8	AgOAc	C_6F_6	22.5	18	53	86:14
9	Ag_2CO_3	C_6F_6	22.5	17	53	87:13
10	$Cu(CH_3CN)_4PF_6$	C_6F_6	8.5	N.R.		
11	$Cu(OAc)_2$	C_6F_6	8.5	N.R.		
12	$AgSbF_6$	C_6F_6	23.5	44	59	90:10
13	$AgBF_4$	C_6F_6	23.5	55	61	92:8
14	$Zn(OTf)_2$	C_6F_6	19.5	48	23	63:37
15	NaOAc	C_6F_6	23.5	42	67	91:9

[a] Unless noted otherwise, reactions were performed with **1a** (0.40 mmol), **2a** (0.20 mmol), **3** (0.02 mmol), base (0.25 mmol) and additive (0.06mmol) in solvent (1.0 mL) at 60 ℃. [b] base (0.2mmol), additive (0.08mmol), [c] Isolated yield. [d] Determined by chiral HPLC.

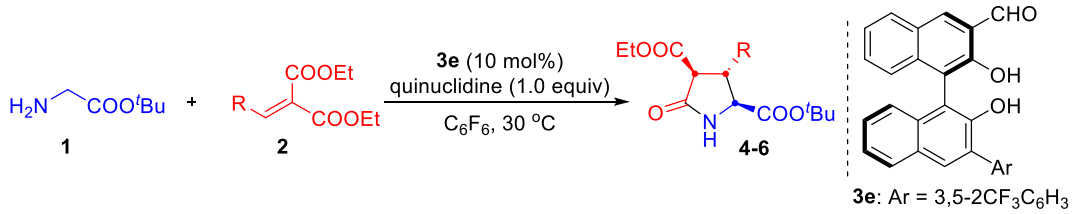
Table S2: Screening of the alkoxy groups of glycinates[a]



Entry	R	Yield(%)[b]	ee[c]	dr[c]
1	Me	53	75	99:1
2	Et	68	76	98:2
3	Bn	27	70	93:7
4	^{t}Bu	83	80	90:10

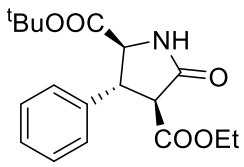
[a] Reactions were performed with **1** (0.3 mmol), **2a** (0.2 mmol), **3** (0.02 mmol) and base (0.2 mmol) in C_6F_6 (1.0 mL) at 60 ℃. [b] Isolated yield. [c] Determined by chiral HPLC.

3. General procedure for the catalytic asymmetric reaction

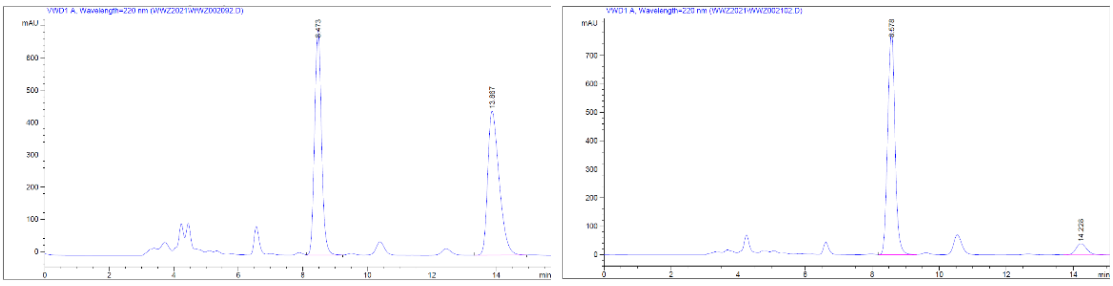


A dry Schlenk tube was charged with α,β–unsaturated diester **2** (0.2 mmol), catalyst **3e** (0.02mmol), Quinuclidine (0.2 mmol) and Glycine tert-butyl ester **1**(0.3 mmol). After the addition of Hexafluorobenzene (1.0 mL), the reaction mixture was effectively stirred at 30 ℃ and monitored by TLC. After the complete consumption of reactant **2**, the mixture was concentrated in vacuo and purified by flash chromatography on silica gel (petroleum: AcOEt = 5:1 to 1:1) to afford products **4-6**.

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-phenylpyrrolidine-2,4-dicarboxylate (4a):



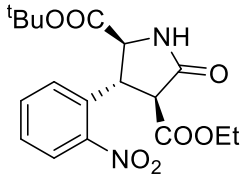
Colorless oil (60.9 mg, 92%); R_f = 0.23 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 86% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 8.578 min, t_R(minor) 14.228 min; $[a]_D^{20}$ = -2.99 (c=1.17, $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.36 (t, J = 7.5 Hz, 2H), 7.29 (d, J = 7.4 Hz, 3H), 6.49 (s, 1H), 4.26 – 4.15 (m, 3H), 4.08 (t, J = 7.9 Hz, 1H), 3.59 (d, J = 8.6 Hz, 1H), 1.40 (s, 9H), 1.26 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.62, 169.14, 168.20, 139.74, 129.02, 127.82, 127.44, 82.96, 61.98, 61.27, 56.06, 47.99, 27.91, 14.11. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{24}NO_5^+$ 334.1649; found 334.1648.



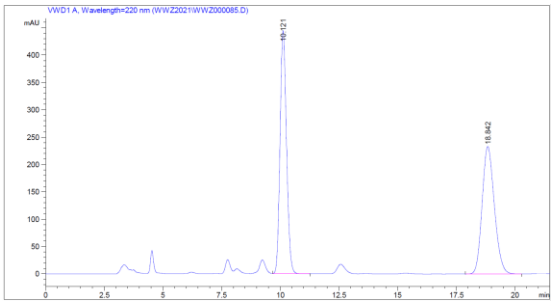
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.473	VV	0.2349	1.04700e4	697.29956	48.8086
2	13.867	BB	0.3785	1.09811e4	446.94687	51.1914

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.578	VV	0.2375	1.19244e4	789.05347	93.0687
2	14.228	BBA	0.3469	888.07953	39.49487	6.9313

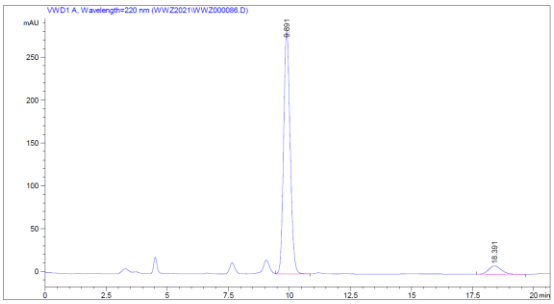
2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(2-nitrophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4b):



Yellow oil (53.0 mg, 70%); R_f = 0.12 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 9.891 min, t_R(minor) 18.391 min; $[a]_D^{20}$ = -58.48 (c=0.56 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.91 (d, J = 8.1 Hz, 1H), 7.67 (t, J = 7.4 Hz, 1H), 7.56 (d, J = 7.7 Hz, 1H), 7.48 (t, J = 7.7 Hz, 1H), 7.08 (s, 1H), 4.67 (t, J = 6.3 Hz, 1H), 4.24 (m, J = 12.1, 5.2 Hz, 3H), 3.58 (d, J = 7.0 Hz, 1H), 1.41 (s, 9H), 1.28 (t, J = 7.2 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.47, 168.74, 167.62, 149.49, 134.94, 133.69, 129.17, 128.79, 124.99, 83.47, 62.23, 60.91, 56.03, 42.61, 27.76, 14.03. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{23}N_2O_7^+$ 379.1500; found 379.1492.

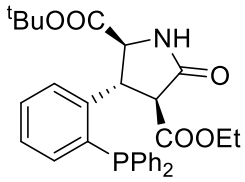


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.121	VB	0.2909	8418.25684	444.50644	49.8772
2	18.842	BB	0.5631	8459.69238	233.21579	50.1228



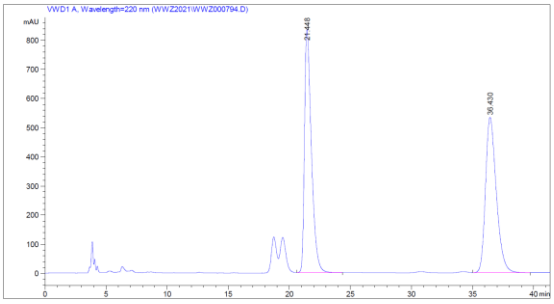
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.891	VB	0.2868	5272.70264	283.72049	93.7623
2	18.391	BB	0.5463	350.77823	9.82360	6.2377

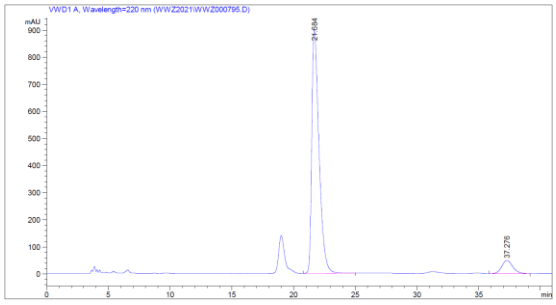
2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(2-(diphenylphosphanyl)phenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4c):



Colorless oil (101.9 mg, 81%); R_f = 0.14 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 85% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 21.684 min, t_R(minor) 37.276 min; $[a]_D^{20}$ = 6.81 (c=1.73 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.40 – 7.35 (m, 2H), 7.34 – 7.29 (m, 6H), 7.23 – 7.15 (m, 5H), 6.95 (dd, J = 7.6, 3.7 Hz, 1H), 6.47 (s, 1H), 5.09 (s, 1H), 4.30 (d, J = 3.7 Hz, 1H), 3.93 – 3.80 (m, 2H), 3.27 (s, 1H), 1.46 (s, 9H), 1.11 (t, J = 7.1 Hz, 3H).**^{13}C NMR (151 MHz, $CDCl_3$)** δ 171.68, 169.43, 167.57, 136.71, 136.63, 136.28, 136.21, 135.89, 135.79, 134.64, 133.88, 133.79, 133.75, 133.66, 130.25, 128.80, 128.75, 128.66,

128.62, 128.61, 128.58, 127.83, 126.52, 82.84, 62.39, 62.36, 61.66, 56.52, 28.00, 13.92. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{30}H_{33}NO_5P^+$ 518.2091; found 518.2089.

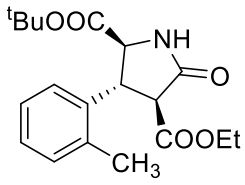




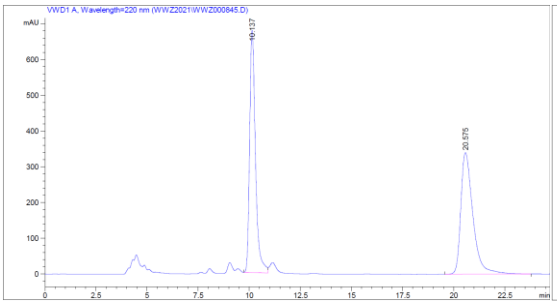
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.448	BB	0.5931	3.26669e4	832.90161	49.9918
2	36.430	BB	0.9400	3.26776e4	532.83392	50.0082

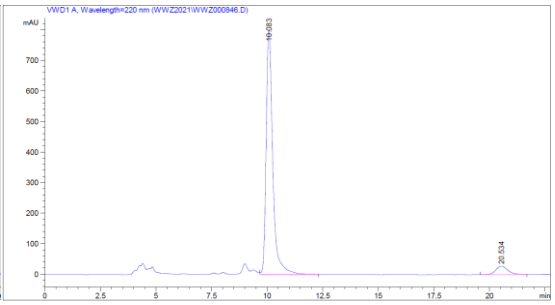
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.684	BB	0.6099	3.63922e4	897.94055	92.5399
2	37.276	BB	0.9413	2933.73462	47.84678	7.4601

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(m-tolyl)pyrrolidine-2,4-dicarboxylate (4d):



Colorless oil (22.0 mg, 32%); R_f = 0.28 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 10.083 min, t_R(minor) 20.534 min; $[a]_D^{20}$ = -22.43 (c=0.44 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.22 (d, J = 1.3 Hz, 2H), 7.18 (d, J = 1.5 Hz, 2H), 6.42 (s, 1H), 4.45 (t, J = 6.5 Hz, 1H), 4.25 – 4.18 (m, 2H), 4.14 (d, J = 5.9 Hz, 1H), 3.51 (d, J = 7.2 Hz, 1H), 2.44 (s, 3H), 1.43 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.93, 169.32, 168.40, 139.05, 136.22, 130.73, 127.43, 126.90, 125.83, 82.92, 62.00, 61.93, 56.29, 42.71, 27.88, 19.76, 14.09. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{26}NO_5^+$ 348.1805; found 348.1804.





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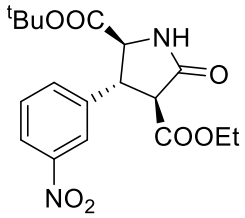
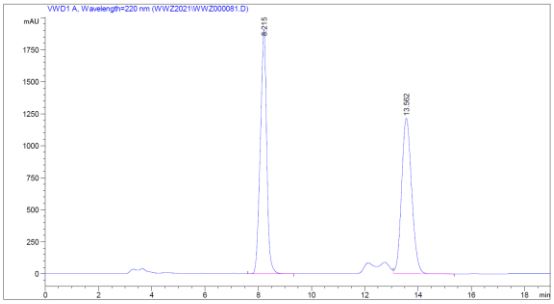
1	10.137	BV	0.3015	1.34508e4	677.75299	49.1016
2	20.575	BB	0.6195	1.39430e4	340.24435	50.8984

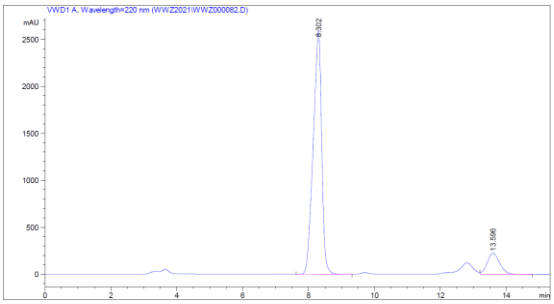
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.083	VB	0.3106	1.63506e4	797.69763	94.2049
2	20.534	BB	0.5601	1005.82281	27.45516	5.7951

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(3-nitrophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4e):



Colorless oil (52.4 mg, 69%); R_f = 0.18 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 77% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 8.302 min, t_R(minor) 13.596 min; $[a]_D^{20}$ = -11.83 (c=1.05 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.23 (s, 1H), 8.19 (d, J = 8.1 Hz, 1H), 7.69 (d, J = 7.6 Hz, 1H), 7.58 (t, J = 7.9 Hz, 1H), 7.01 (bs, 1H), 4.28 – 4.19 (m, 4H), 3.65 (d, J = 8.9 Hz, 1H), 1.41 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 169.85, 168.52, 167.67, 148.61, 141.54, 133.84, 130.09, 122.91, 122.68, 83.56, 62.26, 60.74, 55.72, 47.40, 27.87, 14.07. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{23}N_2O_7^+$ 379.1500; found 379.1493.





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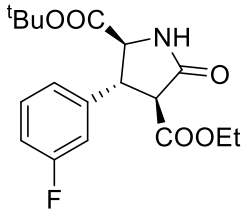
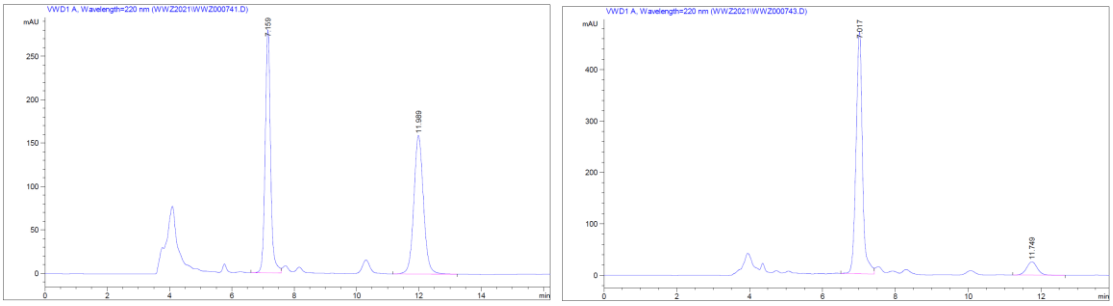
1	8.215	BB	0.2499	3.18487e4	1910.25952	49.8122	1	8.302	BB	0.2678	4.62743e4	2595.36841	88.7357
2	13.562	VB	0.4101	3.20888e4	1215.18848	50.1878	2	13.596	VB	0.4025	5874.16016	224.80803	11.2643

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(3-fluorophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4f):



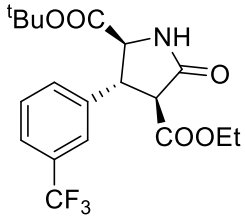
Colorless oil (55.8 mg, 79%); R_f = 0.24 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 83% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 7.017 min, t_R(minor) 11.749 min; $[a]_D^{20}$ = 10.91 (c=1.01 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.33 (dd, J = 14.2, 7.3 Hz, 1H), 7.08 (d, J = 7.6 Hz, 1H), 7.00 (t, J = 9.3 Hz, 2H), 6.35 (s, 1H), 4.26 – 4.19 (m, 2H), 4.16 (d, J = 7.3 Hz, 1H), 4.08 (t, J = 8.0 Hz, 1H), 3.56 (d, J = 8.8 Hz, 1H), 1.41 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.18, 168.82, 167.93, 163.81, 162.17, 142.08, 142.03, 130.64, 130.58, 123.09, 123.07, 114.88, 114.74, 114.67, 114.53, 83.20, 62.10, 60.91, 55.85, 47.57, 27.88, 14.09. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{23}FNO_5^+$ 352.1555; found 352.1557.



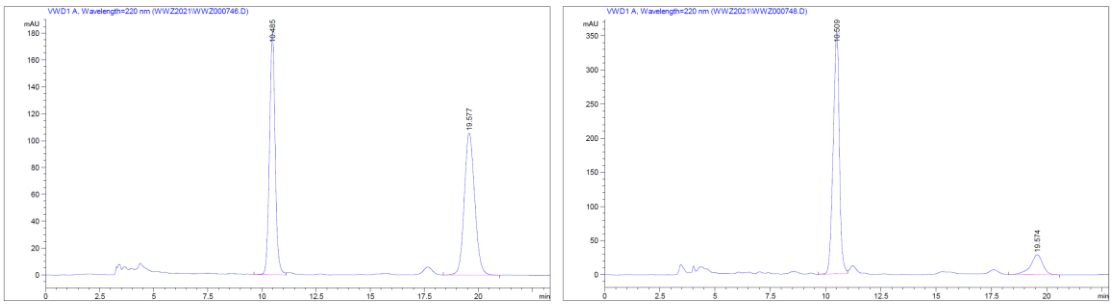
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.159	BV	0.1807	3317.23828	279.53564	49.2955
2	11.989	BB	0.3285	3412.05078	159.39531	50.7045

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.017	BV	0.1878	5753.46240	470.63455	91.5401
2	11.749	VB	0.3158	531.71790	26.00116	8.4599

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(3-(trifluoromethyl)phenyl)pyrrolidine-2,4-dicarboxylate (4g):



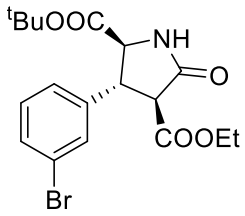
White solid (55.2 mg, 69%); R_f = 0.29 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 72% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 10.509 min, t_R(minor) 19.574 min; $[a]_D^{20}$ = -18.79 (c=1.08 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.61 – 7.55 (m, 2H), 7.52 (s, 2H), 6.75 (s, 1H), 4.27 – 4.18 (m, 3H), 4.14 (t, J = 8.2 Hz, 1H), 3.60 (d, J = 9.0 Hz, 1H), 1.40 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.18, 168.75, 167.84, 140.55, 131.48, 131.26, 130.76, 129.61, 124.74, 124.71, 124.64, 124.61, 83.29, 62.15, 60.94, 55.84, 47.65, 27.82, 14.04. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{23}F_3NO_5^+$ 402.1523; found 402.1527.



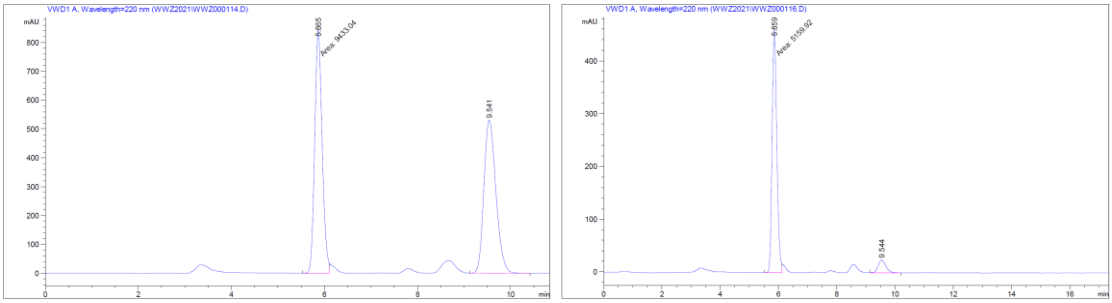
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.485	BV	0.2767	3273.09570	180.84990	48.0959
2	19.577	BB	0.5175	3532.25586	105.88844	51.9041

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.509	BV	0.2984	6901.76563	354.79590	86.0881
2	19.574	BB	0.5706	1115.32922	29.22320	13.9119

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(3-bromophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4h):



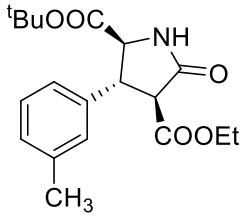
Light yellow oil (63.7 mg, 77%); R_f = 0.28 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 85% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 5.859 min, t_R(minor) 9.544 min; $[a]_D^{20}$ = -15.62 (c=1.27 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.46 (s, 1H), 7.44 (s, 1H), 7.24 (d, J = 4.6 Hz, 2H), 6.92 (s, 1H), 4.26 – 4.18 (m, 2H), 4.16 (d, J = 7.3 Hz, 1H), 4.04 (t, J = 8.1 Hz, 1H), 3.58 (d, J = 8.8 Hz, 1H), 1.42 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.34, 168.83, 167.91, 141.89, 130.96, 130.80, 130.56, 126.07, 122.92, 83.19, 62.09, 61.05, 55.79, 47.44, 27.89, 14.09. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{23}BrNO_5^+$ 412.0754; found 412.0735.



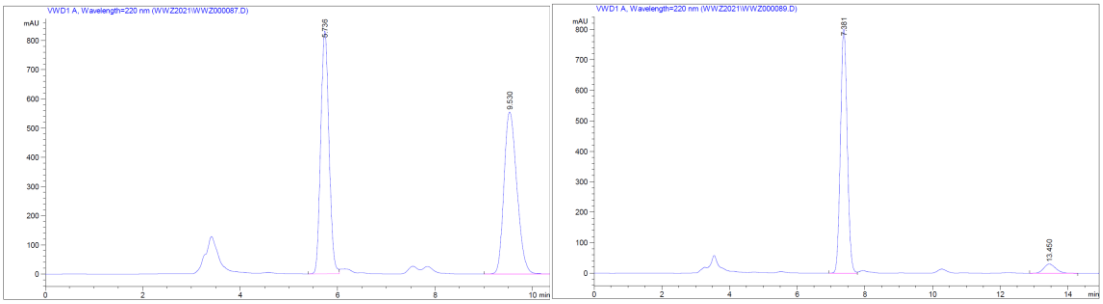
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.865	MF	0.1864	9433.04297	843.53589	49.7616
2	9.541	VB	0.2779	9523.43066	530.53986	50.2384

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.859	MF	0.1866	5159.91650	460.99374	92.2122
2	9.544	VB	0.2762	435.78058	24.14233	7.7878

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(m-tolyl)pyrrolidine-2,4-dicarboxylate (4i):



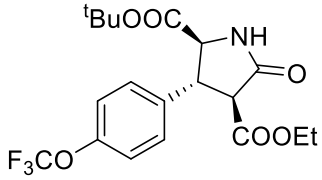
Colorless oil (36.8 mg, 53%); R_f = 0.23 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 7.381 min, t_R(minor) 13.450 min; $[a]_D^{20}$ = -14.88 (c=0.80 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.25 (m, J = 14.3, 6.9 Hz, 1H), 7.10 (t, J = 9.4 Hz, 3H), 6.56 (s, 1H), 4.22 (m, J = 16.7, 9.3 Hz, 2H), 4.16 (d, J = 6.9 Hz, 1H), 4.04 (t, J = 7.5 Hz, 1H), 3.59 (d, J = 8.4 Hz, 1H), 2.35 (s, 3H), 1.42 (s, 9H), 1.26 (t, J = 6.9 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.85, 169.23, 168.27, 139.81, 138.64, 128.86, 128.49, 128.15, 124.37, 82.84, 61.90, 61.43, 56.01, 47.80, 27.89, 21.38, 14.08. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{26}NO_5^+$ 348.1805; found 348.1804.



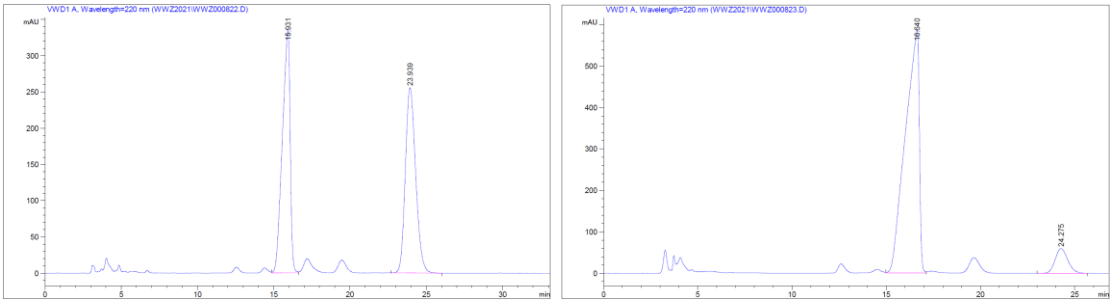
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.736	BV	0.1860	9827.29004	831.69629	49.0915
2	9.530	BBA	0.2888	1.01910e4	554.48383	50.9085

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.381	BV	0.2185	1.11937e4	800.55219	93.8656
2	13.450	BB	0.3790	731.53729	30.02799	6.1344

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(3-(trifluoromethoxy)phenyl)pyrrolidine-2,4-dicarboxylate (4j):



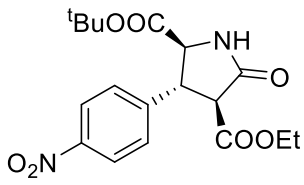
Colorless oil (65.3 mg, 78%); R_f = 0.24 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 83% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 16.640 min, t_R(minor) 24.175 min; $[a]_D^{20}$ = -10.77 (c=1.31 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.34 (d, J = 8.5 Hz, 2H), 7.22 (d, J = 8.1 Hz, 2H), 6.49 (s, 1H), 4.22 (dddd, J = 17.9, 10.8, 7.2, 3.7 Hz, 2H), 4.15 (d, J = 7.4 Hz, 1H), 4.10 (t, J = 8.1 Hz, 1H), 3.56 (d, J = 8.8 Hz, 1H), 1.40 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.15, 168.77, 167.91, 148.73, 138.22, 128.96, 121.49, 121.28, 119.57, 83.24, 62.13, 61.01, 55.91, 47.27, 27.86, 14.08. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{23}F_3NO_6^+$ 418.1472; found 418.1469.



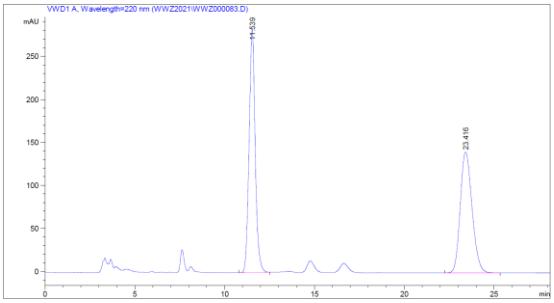
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.931	VV	0.5784	1.18910e4	335.34256	49.6820
2	23.939	BB	0.7350	1.20432e4	255.52702	50.3180

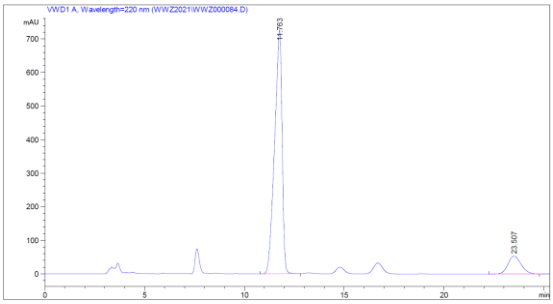
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.640	VV	0.9145	3.02009e4	586.16779	91.5461
2	24.275	BB	0.7227	2788.92554	59.88020	8.4539

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(4-nitrophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4k):



Colorless oil (62.8 mg, 83%); R_f = 0.14 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 77% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 11.763 min, t_R(minor) 23.507 min; $[a]_D^{20}$ = -6.95 (c=1.25 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.25 (d, J = 8.6 Hz, 2H), 7.51 (d, J = 8.6 Hz, 2H), 6.63 (s, 1H), 4.30 – 4.15 (m, 4H), 3.59 (dd, J = 6.0, 3.0 Hz, 1H), 1.41 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 169.55, 168.38, 167.57, 147.59, 146.69, 128.60, 124.28, 83.69, 62.35, 60.47, 55.66, 47.46, 27.90, 14.09. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{23}N_2O_7^+$ 379.1500; found 379.1497.

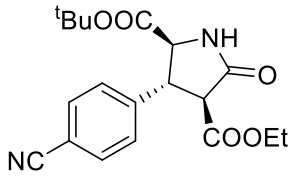




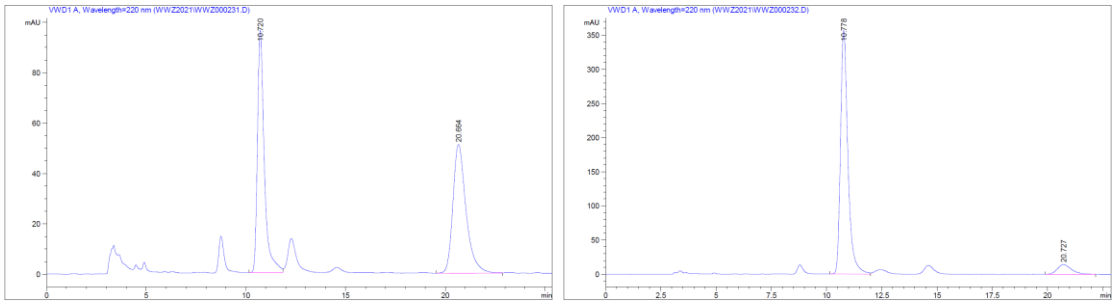
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.539	BB	0.3533	6652.34375	282.65222	50.9721
2	23.416	BB	0.7060	6398.61133	140.55669	49.0279

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.763	BB	0.3915	1.89156e4	725.86322	88.6799
2	23.507	BB	0.7008	2414.60376	53.41978	11.3201

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(4-cyanophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4l):



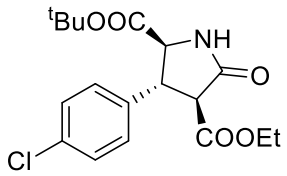
White solid (55.3 mg, 77%); R_f = 0.14 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 86% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 10.778 min, t_R(minor) 20.727 min; $[a]_D^{20}$ = -22.38 (c=0.29 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.68 (d, J = 8.0 Hz, 2H), 7.45 (d, J = 7.9 Hz, 2H), 6.75 (s, 1H), 4.28 – 4.16 (m, 3H), 4.14 (t, J = 8.1 Hz, 1H), 3.57 (d, J = 8.8 Hz, 1H), 1.40 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 169.86, 168.51, 167.65, 144.81, 132.82, 128.44, 118.28, 111.98, 83.51, 62.24, 60.58, 55.63, 47.72, 27.88, 14.06. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{23}N_2O_5^+$ 359.1601; found 359.1597.



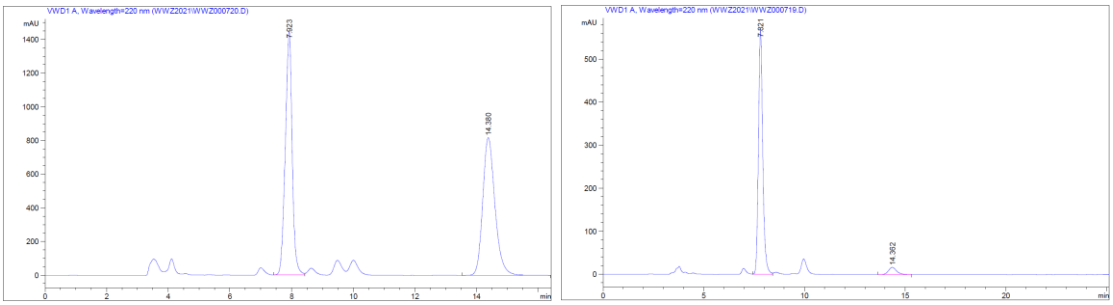
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.720	BV	0.3578	2300.09595	96.13934	49.7129
2	20.664	BB	0.6869	2326.66455	51.12508	50.2871

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.778	BV	0.3502	8302.09570	356.81232	93.1090
2	20.727	BB	0.6686	614.43677	14.10458	6.8910

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(4-chlorophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4m):



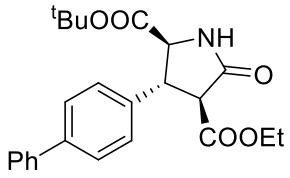
White solid (60.9 mg, 83%); R_f = 0.20 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 90% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 7.821 min, t_R(minor) 14.362 min; $[a]_D^{20}$ = 5.02 (c=0.58, $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.34 (d, J = 8.2 Hz, 2H), 7.25 (d, J = 10.2 Hz, 2H), 6.21 (s, 1H), 4.26 – 4.16 (m, 2H), 4.13 (d, J = 7.4 Hz, 1H), 4.06 (t, J = 8.2 Hz, 1H), 3.53 (d, J = 8.9 Hz, 1H), 1.41 (s, 9H), 1.26 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.26, 168.84, 167.95, 138.05, 133.72, 129.17, 128.84, 83.20, 62.07, 61.02, 55.95, 47.34, 27.90, 14.08. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{26}NO_5^+$ 368.1259; found 368.1254.



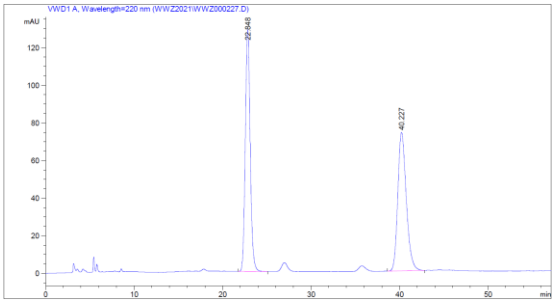
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.923	BV	0.2307	2.22788e4	1446.86328	49.8115
2	14.380	BBA	0.4179	2.24474e4	817.84137	50.1885

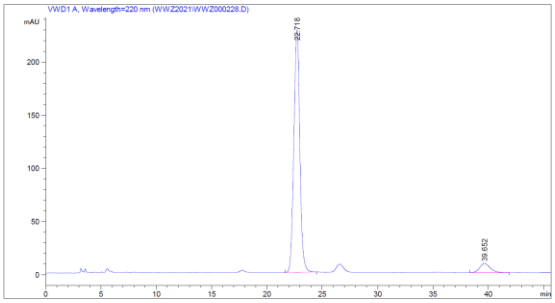
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.821	VV	0.2250	8449.72852	566.75372	94.9220
2	14.362	BB	0.4164	452.03436	16.54855	5.0780

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-([1,1'-biphenyl]-4-yl)-5-oxopyrrolidine-2,4-dicarboxylate (4n):



Colorless oil (56.0 mg, 68%); R_f = 0.24 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak IA-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 22.718 min, t_R(minor) 39.652 min; $[a]_D^{20}$ = -10.37 (c=1.22 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.58 (t, J = 6.9 Hz, 4H), 7.44 (t, J = 7.5 Hz, 2H), 7.39 – 7.34 (m, 3H), 6.89 (s, 1H), 4.26 – 4.19 (m, 3H), 4.13 (t, J = 7.8 Hz, 1H), 3.64 (d, J = 8.6 Hz, 1H), 1.43 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.78, 169.17, 168.23, 140.76, 140.45, 138.75, 128.83, 127.87, 127.66, 127.49, 127.02, 82.99, 61.98, 61.36, 56.04, 47.61, 27.92, 14.11. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{24}H_{28}NO_5^+$ 410.1962; found 410.1948.

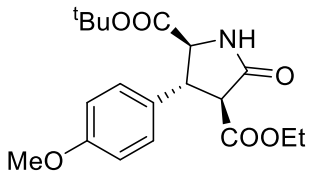




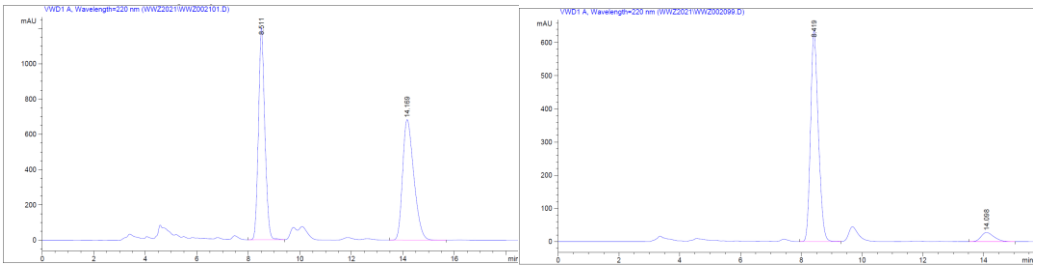
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.848	BB	0.5878	4919.26172	128.57045	50.0435
2	40.227	BB	1.0140	4910.70361	73.65630	49.9565

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.718	BB	0.5762	8504.91797	228.18091	93.9226
2	39.652	BB	0.9602	550.31860	8.55710	6.0774

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(4-methoxyphenyl)-5-oxopyrrolidine-2,4-dicarboxylate(4o):



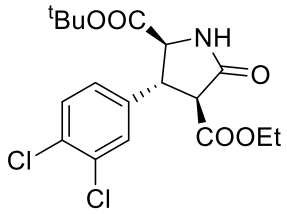
Colorless oil (33.9 mg, 47%); R_f = 0.20 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 86 % by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 8.419 min, t_R(minor) 14.098 min; $[a]_D^{20}$ = -12.24 (c=0.68, $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.21 (d, J = 8.3 Hz, 2H), 6.88 (d, J = 8.3 Hz, 2H), 6.43 (s, 1H), 4.26 – 4.15 (m, 2H), 4.13 (d, J = 7.3 Hz, 1H), 4.03 (t, J = 8.1 Hz, 1H), 3.80 (s, 3H), 3.55 (d, J = 8.8 Hz, 1H), 1.41 (s, 9H), 1.26 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.65, 169.17, 168.25, 159.14, 131.60, 128.50, 114.34, 82.88, 61.91, 61.41, 56.16, 55.31, 47.37, 27.91, 14.10. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{19}H_{26}NO_6^+$ 364.1755; found 364.1751.



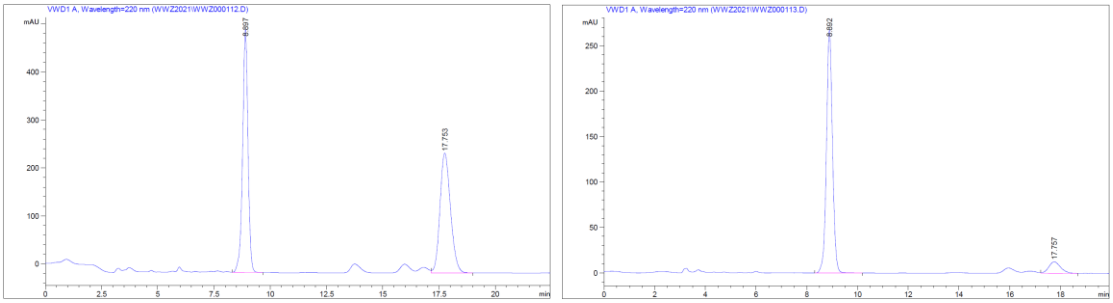
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.511	BB	0.2553	2.07284e4	1201.17700	50.0949
2	14.169	BB	0.4634	2.06498e4	683.24304	49.9051

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.419	BV	0.2635	1.12747e4	636.48938	93.2337
2	14.098	BB	0.4460	818.24072	27.75501	6.7663

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(3,4-dichlorophenyl)-5-oxopyrrolidine-2,4-dicarboxylate (4p):



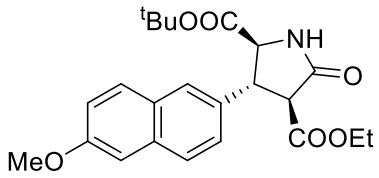
Colorless oil (62.6 mg, 78%); R_f = 0.29 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 83% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 85/15, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 8.892 min, t_R(minor) 17.757 min; $[a]_D^{20}$ = -19.04 (c=1.25 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.45 (d, J = 8.3 Hz, 1H), 7.41 (d, J = 1.8 Hz, 1H), 7.27 (s, 1H), 7.15 (d, J = 8.2, 1.8 Hz, 1H), 6.41 (s, 1H), 4.27 – 4.19 (m, 2H), 4.13 (d, J = 7.5 Hz, 1H), 4.05 (t, J = 8.3 Hz, 1H), 3.54 (d, J = 9.0 Hz, 1H), 1.42 (s, 9H), 1.28 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 169.78, 168.56, 167.73, 139.66, 133.08, 132.07, 130.99, 129.75, 126.78, 83.51, 62.24, 60.72, 55.63, 46.95, 27.92, 14.09. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{18}H_{22}Cl_2NO_5^+$ 402.0870; found 402.0860.



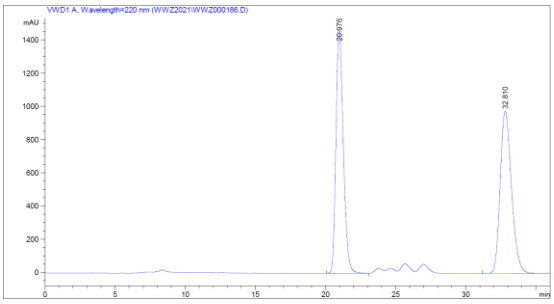
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.897	BB	0.2497	8418.58496	505.37717	50.9180
2	17.753	VB	0.5016	8115.03760	249.67880	49.0820

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.892	BB	0.2511	4416.99902	267.27997	91.4548
2	17.757	VB	0.4988	412.70572	12.69391	8.5452

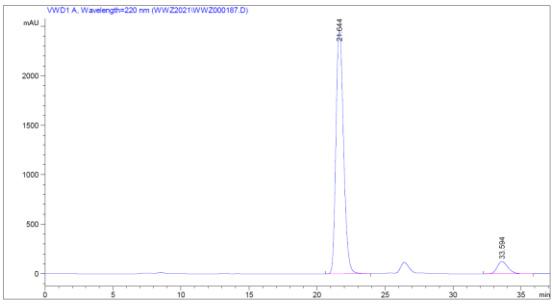
2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(6-methoxynaphthalen-2-yl)-5-oxopyrrolidine-2,4-dicarboxylate (4q):



Light yellow oil (42.2 mg, 51%); R_f = 0.14 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 87% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 21.644 min, t_R(minor) 33.594 min; $[a]_D^{20}$ = -20.93 (c=0.84 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.75 (d, J = 8.4 Hz, 1H), 7.71 (d, J = 8.8 Hz, 1H), 7.68 (s, 1H), 7.37 (d, J = 8.3 Hz, 1H), 7.16 (d, J = 8.9 Hz, 1H), 7.13 (s, 1H), 6.77 (s, 1H), 4.27 (d, J = 7.0 Hz, 1H), 4.24 – 4.17 (m, 3H), 3.92 (s, 3H), 3.70 (d, J = 8.5 Hz, 1H), 1.39 (s, 9H), 1.25 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.83, 169.25, 168.31, 157.97, 134.65, 134.01, 129.25, 128.84, 127.80, 126.48, 125.38, 119.37, 105.75, 82.91, 61.94, 61.39, 56.04, 55.33, 47.99, 27.91, 27.83, 14.08. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{23}H_{28}NO_6^+$ 414.1911; found 414.1905.

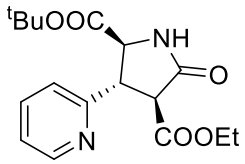


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.976	VB	0.5600	5.32544e4	1463.73987	49.3502
2	32.810	BBA	0.8643	5.46569e4	976.58160	50.6498

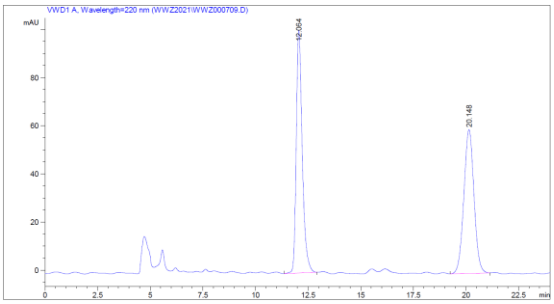


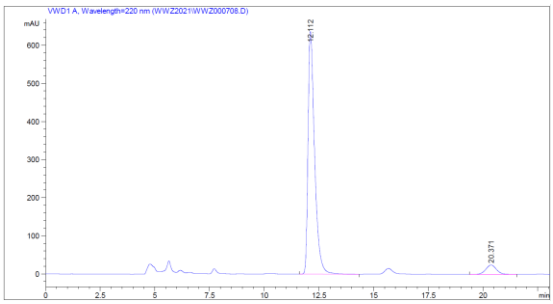
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.644	BB	0.6041	9.55722e4	2456.25562	93.3874
2	33.594	BB	0.8431	6767.33350	124.11566	6.6126

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(pyridin-2-yl)pyrrolidine-2,4-dicarboxylate (5a):



White solid (54.5 mg, 82%); R_f = 0.14 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 88% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 12.112 min, t_R(minor) 20.371 min; $[a]_D^{20}$ = -14.07 (c=1.10 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.61 (d, J = 3.9 Hz, 1H), 7.65 (t, J = 7.6 Hz, 1H), 7.28 (d, J = 1.8 Hz, 1H), 7.24 – 7.20 (m, 1H), 6.62 (s, 1H), 4.55 (d, J = 7.9 Hz, 1H), 4.26 – 4.17 (m, 3H), 3.98 (d, J = 9.5 Hz, 1H), 1.40 (s, 9H), 1.26 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** 170.23, 169.31, 168.39, 157.81, 149.88, 136.62, 124.08, 122.65, 82.82, 61.82, 59.30, 54.56, 49.30, 27.89, 14.09. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{17}H_{23}N_2O_5^+$ 335.1601; found 335.1598.

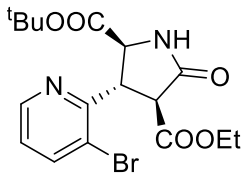




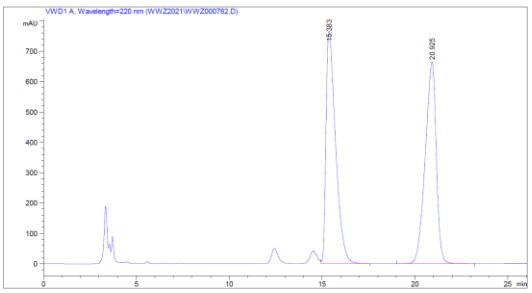
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.064	BB	0.3000	1999.35547	100.78664	50.0983
2	20.148	BB	0.5127	1991.50818	59.76080	49.9017

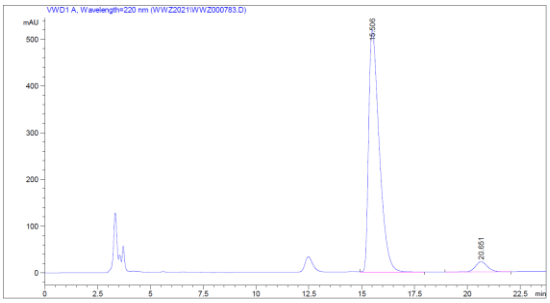
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.112	BB	0.3131	1.32786e4	637.10431	94.2442
2	20.371	BB	0.5138	810.96588	24.35573	5.7558

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(3-bromopyridin-2-yl)-5-oxopyrrolidine-2,4-dicarboxylate (5b):



Colorless oil (60.0 mg, 73%); R_f = 0.10 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 91% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 15.506 min, t_R(minor) 20.651 min; $[a]_D^{20}$ = -3.69 (c=0.71 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.55 (d, J = 3.4 Hz, 1H), 7.90 – 7.86 (m, 1H), 7.11 (dd, J = 8.0, 4.5 Hz, 1H), 6.36 (s, 1H), 4.84 (t, J = 7.9 Hz, 1H), 4.62 (d, J = 7.2 Hz, 1H), 4.28 – 4.18 (m, 2H), 3.76 (d, J = 8.5 Hz, 1H), 1.41 (s, 9H), 1.28 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 169.97, 169.15, 168.05, 156.67, 148.38, 140.65, 123.82, 121.72, 82.95, 61.93, 59.50, 55.07, 47.26, 27.78, 14.11. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{17}H_{22}BrN_2O_5^+$ 413.0707; found 413.0714.

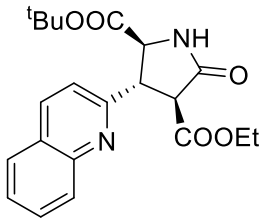




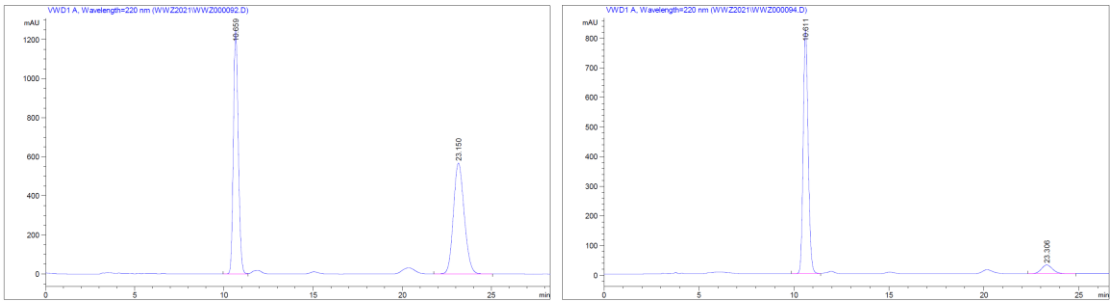
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.383	VB	0.5421	2.73358e4	765.32312	50.0567
2	20.925	BB	0.6206	2.72739e4	663.98413	49.9433

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.506	VB	0.5318	1.80250e4	517.46094	95.4424
2	20.651	BB	0.6031	860.72614	21.82061	4.5576

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(quinolin-2-yl)pyrrolidine-2,4-dicarboxylate (5c):



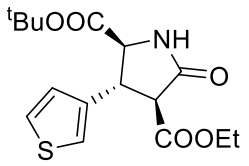
Yellow oil (72.6 mg, 94%); R_f = 0.20 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 85% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 80/20, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 10.611 min, t_R(minor) 23.306 min; $[a]_D^{20}$ = -50.25 (c=1.45 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.13 (d, J = 8.3 Hz, 1H), 8.04 (d, J = 8.4 Hz, 1H), 7.81 (d, J = 8.1 Hz, 1H), 7.72 (t, J = 7.6 Hz, 1H), 7.53 (t, J = 7.4 Hz, 1H), 7.43 (d, J = 8.3 Hz, 1H), 6.76 (s, 1H), 4.72 (d, J = 7.5 Hz, 1H), 4.43 (dd, J = 8.8, 7.7 Hz, 1H), 4.28 – 4.16 (m, 3H), 1.42 (s, 9H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.46, 169.47, 168.55, 158.32, 147.96, 136.77, 129.70, 129.27, 127.55, 127.47, 126.54, 121.95, 82.95, 61.88, 59.62, 54.44, 49.43, 27.93, 27.86, 14.11. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{21}H_{25}N_2O_5^+$ 385.1758; found 385.1757.



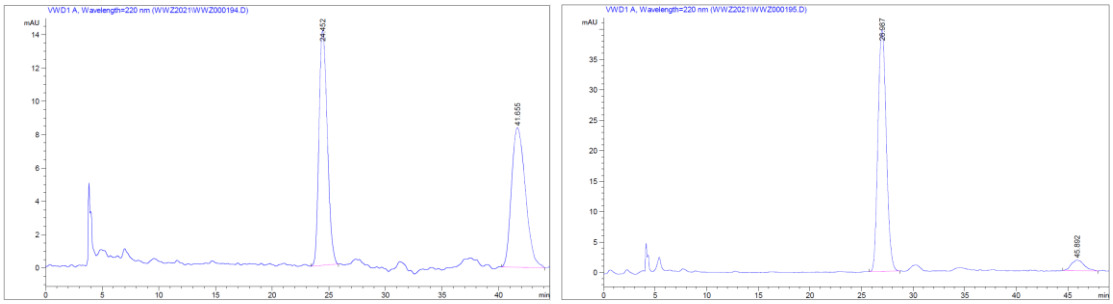
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.659	BV	0.2963	2.38465e4	1245.28394	49.9094
2	23.150	BB	0.6535	2.39331e4	567.92902	50.0906

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.611	BV	0.2793	1.49500e4	821.70868	92.6725
2	23.306	BB	0.6260	1182.07019	29.15993	7.3275

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(thiophen-3-yl)pyrrolidine-2,4-dicarboxylate (5d):



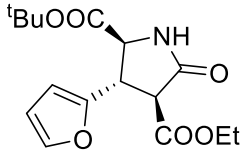
Colorless oil (51.3 mg, 76%); R_f = 0.23 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 87% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 °C), UV 220 nm, t_R(major) 26.987 min, t_R(minor) 45.892 min; $[a]_D^{20}$ = -5.79 (c=1.08 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.34 (dd, J = 4.6, 2.9 Hz, 1H), 7.18 (s, 1H), 7.05 (d, J = 4.2 Hz, 1H), 6.90 (s, 1H), 4.23 (m, J = 20.2, 12.2, 5.7 Hz, 3H), 4.16 (d, J = 7.1 Hz, 1H), 3.57 (d, J = 8.6 Hz, 1H), 1.44 (s, 9H), 1.28 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.79, 170.71, 169.11, 169.09, 168.22, 140.09, 126.87, 126.13, 126.11, 121.96, 83.01, 82.98, 62.01, 60.98, 60.93, 55.59, 55.57, 43.16, 43.11, 27.91, 14.12. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{16}H_{22}NO_5S^+$ 340.1213; found 340.1204.



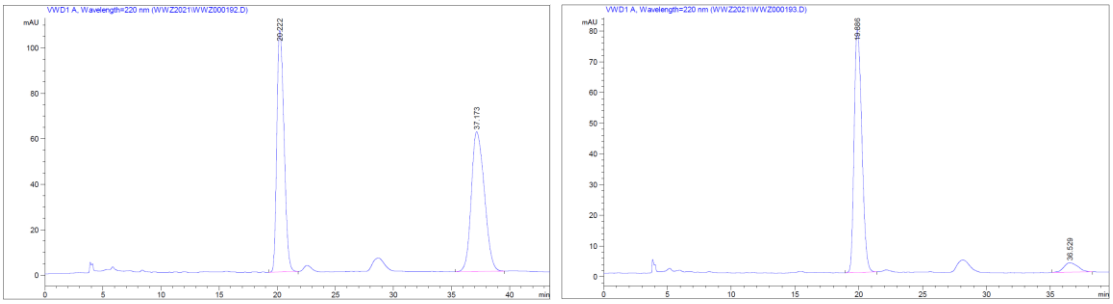
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.452	BB	0.8188	722.25665	14.07394	50.2157
2	41.655	BB	1.1878	716.05225	8.38051	49.7843

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	26.987	BB	0.8919	2234.97363	39.67696	93.6946
2	45.892	BB	1.0289	150.40829	1.72506	6.3054

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(furan-2-yl)-5-oxopyrrolidine-2,4-dicarboxylate (5e):



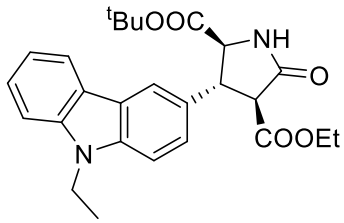
Light yellow oil (54.1 mg, 76%); R_f = 0.28 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 87% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 19.886 min, t_R(minor) 36.529 min; $[a]_D^{20}$ = -5.52 (c=1.03 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.38 (s, 1H), 6.79 (s, 1H), 6.33 (d, J = 1.1 Hz, 1H), 6.24 (d, J = 2.8 Hz, 1H), 4.28 – 4.22 (m, 3H), 4.19 (t, J = 8.2 Hz, 1H), 3.69 (d, J = 8.9 Hz, 1H), 1.45 (s, 9H), 1.29 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.19, 168.80, 167.93, 151.36, 142.41, 142.09, 110.46, 107.45, 83.07, 62.03, 58.56, 58.54, 53.35, 53.18, 41.35, 30.87, 27.89, 27.82, 14.09, 13.86. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{16}H_{22}NO_6^+$ 324.1442; found 324.1446.



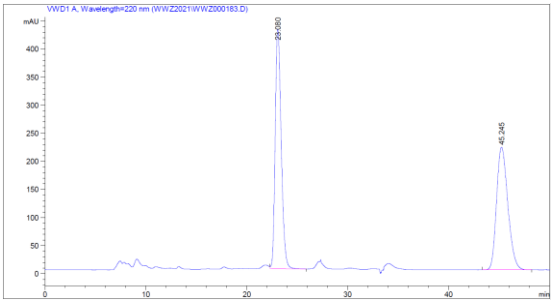
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.222	BB	0.6893	4563.93945	106.22811	48.7192
2	37.173	BB	1.2359	4803.89941	61.43177	51.2808

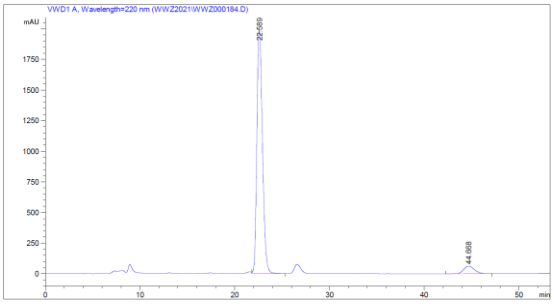
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.886	BB	0.6730	3310.89478	78.94369	93.3375
2	36.529	BB	0.9594	236.33536	3.10014	6.6625

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-(9-ethyl-9H-carbazol-3-yl)-5-oxopyrrolidine-2,4-dicarboxylate (5f):



Colorless oil (24.0 mg, 27%); R_f = 0.16 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 89% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 70/30, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 22.589 min, t_R(minor) 44.668 min; $[a]_D^{20}$ = -34.25 (c=0.25 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.08 (d, J = 7.7 Hz, 1H), 8.01 (s, 1H), 7.48 (t, J = 7.5 Hz, 1H), 7.43 – 7.37 (m, 3H), 7.23 (d, J = 7.4 Hz, 1H), 6.43 (s, 1H), 4.37 (q, J = 7.1 Hz, 2H), 4.28 (q, J = 6.9 Hz, 2H), 4.21 (m, J = 10.7, 7.2, 3.6 Hz, 2H), 3.74 (d, J = 7.6 Hz, 1H), 1.47 – 1.38 (m, 12H), 1.25 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.84, 169.37, 168.46, 140.40, 139.46, 130.10, 126.01, 124.85, 123.29, 122.59, 120.41, 119.33, 119.05, 108.89, 108.62, 82.85, 62.04, 61.89, 56.67, 48.29, 37.64, 27.94, 27.86, 14.10, 13.78. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{26}H_{31}N_2O_5^+$ 451.2227; found 451.2207.

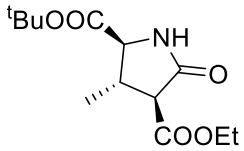




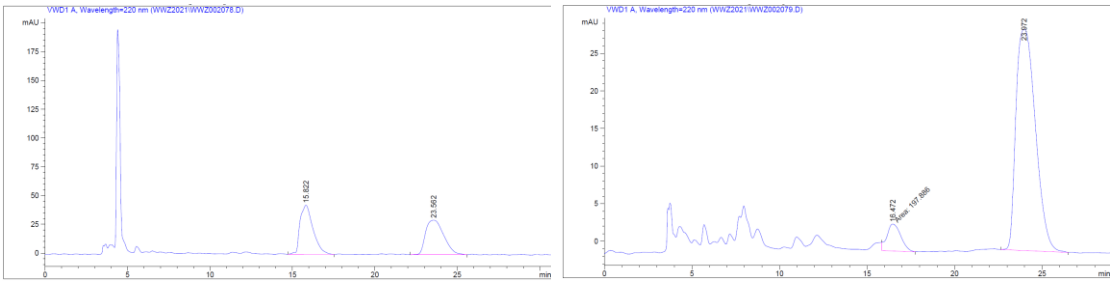
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.080	VB	0.6350	1.76023e4	426.11682	50.9224
2	45.245	BB	1.1897	1.69647e4	218.24107	49.0776

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	22.589	VB	0.6377	8.19314e4	1990.39722	94.4455
2	44.668	BB	1.1851	4818.55371	61.60942	5.5545

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-methyl-5-oxopyrrolidine-2,4-dicarboxylate(6a):



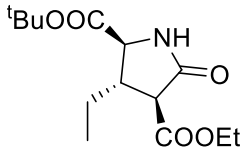
Colorless solid (30.3 mg, 56%); R_f = 0.20 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 84% by HPLC analysis on Daicel Chirapak OD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^oC), UV 220 nm, t_R(major) 23.972 min, t_R(minor) 16.472 min; $[a]_D^{20}$ = 0.72 (c=0.61, $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 6.20 (s, 1H), 4.25 (q, J = 6.7 Hz, 2H), 3.71 (d, J = 7.6 Hz, 1H), 3.09 (d, J = 9.3 Hz, 1H), 3.00 – 2.83 (m, 1H), 1.50 (s, 9H), 1.34 (d, J = 6.7 Hz, 3H), 1.31 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.97, 169.48, 168.60, 82.92, 61.80, 60.97, 55.80, 38.34, 28.02, 18.58, 14.18. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{13}H_{22}NO_5^+$ 272.1492; found 272.1495.



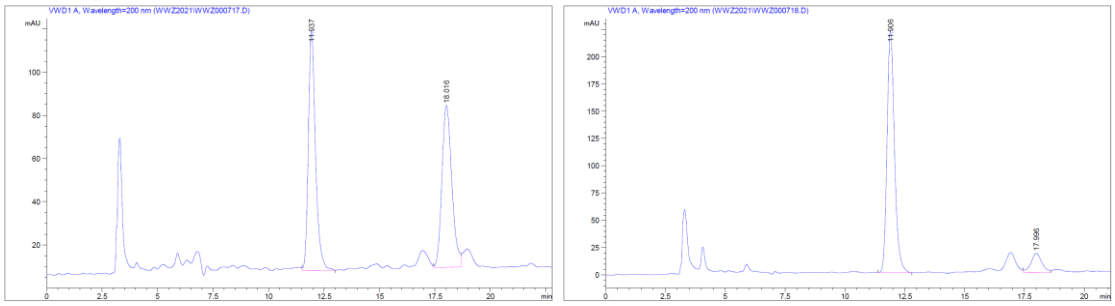
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.822	BB	0.7527	2349.57910	42.79847	50.4622
2	23.562	BB	1.1973	2306.53760	30.04750	49.5378

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.472	FM	0.9183	197.88611	3.59152	7.9961
2	23.972	BB	1.2216	2276.89111	29.43660	92.0039

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-ethyl-5-oxopyrrolidine-2,4-dicarboxylate (6b):



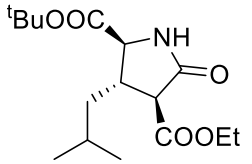
Colorless oil (13.4 mg, 24%); R_f = 0.23 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 79% by HPLC analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 200 nm, t_R(major) 11.906 min, t_R(minor) 17.996 min; $[a]_D^{20}$ = 8.46 (c=0.53 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 6.20 (s, 1H), 4.26 – 4.20 (m, 2H), 3.75 (d, J = 6.3 Hz, 1H), 3.13 (d, J = 7.7 Hz, 1H), 2.89 – 2.83 (m, 1H), 1.86 (dt, J = 13.5, 6.7 Hz, 1H), 1.61 – 1.54 (m, 1H), 1.50 (s, 9H), 1.30 (t, J = 7.1 Hz, 3H), 0.97 (t, J = 7.4 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 171.39, 169.81, 169.14, 82.76, 61.75, 59.59, 53.86, 44.18, 27.97, 27.15, 14.09, 11.18. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{14}H_{24}NO_5^+$ 286.1649; found 286.1639.



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.937	VB	0.3387	2483.51367	110.81915	51.6111
2	18.016	VV	0.4744	2328.46582	75.01697	48.3889

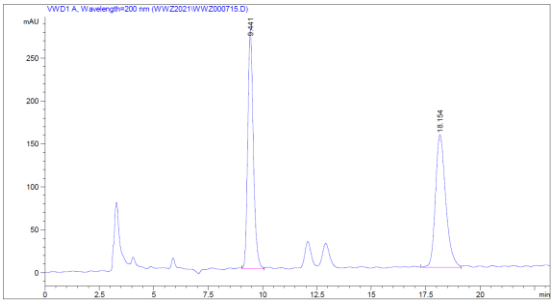
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.906	BV	0.3281	4756.32959	221.19685	89.6039
2	17.996	VV	0.4669	551.84167	17.64549	10.3961

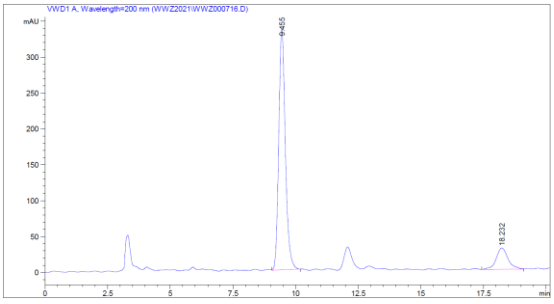
2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-3-isobutyl-5-oxopyrrolidine-2,4-dicarboxylate (6c):



Colorless oil (19.0 mg, 30%); R_f = 0.14 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 72% by HPLC

analysis on Daicel Chirapak AD-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 200 nm, t_R(major) 9.455 min, t_R(minor) 18.232 min; $[a]_D^{20}$ = 0.09 (c=0.38 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 6.06 (s, 1H), 4.28 – 4.20 (m, 2H), 3.71 (d, J = 6.0 Hz, 1H), 3.09 (d, J = 7.3 Hz, 1H), 3.01 (dt, J = 13.4, 6.7 Hz, 1H), 1.69 – 1.63 (m, 1H), 1.50 (s, 9H), 1.45 (m, J = 15.6, 6.8 Hz, 1H), 1.29 (t, J = 7.1 Hz, 3H), 0.95 (d, J = 6.4 Hz, 3H), 0.91 (d, J = 6.3 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 171.44, 169.84, 169.14, 82.80, 61.79, 60.17, 54.87, 44.56, 40.70, 28.00, 25.75, 23.26, 21.67, 14.08. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{16}H_{28}NO_5^+$ 314.1962; found 314.1959.

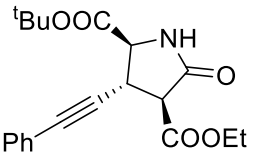




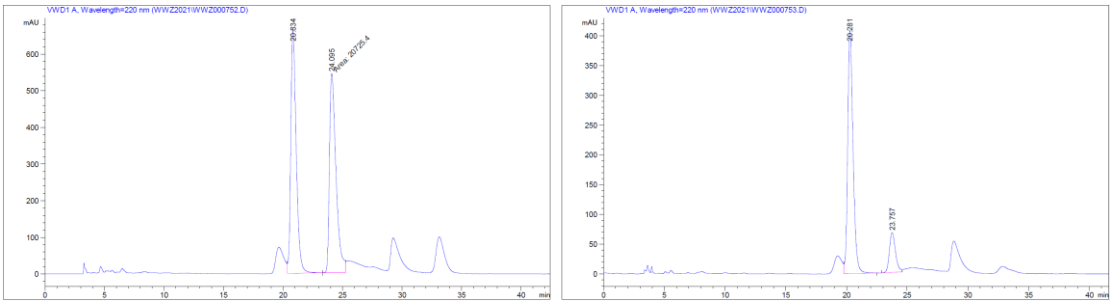
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.441	VV	0.2697	4941.97949	278.48883	49.5325
2	18.154	BV	0.4989	5035.26221	154.26395	50.4675

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.455	VB	0.2783	6103.99707	334.87952	86.1534
2	18.232	BV	0.4962	981.03381	29.80579	13.8466

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-(phenylethynyl)pyrrolidine-2,4-dicarboxylate (6d):



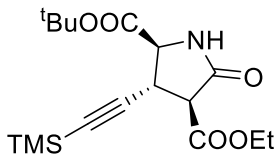
Colorless oil (49.2 mg, 69%); R_f = 0.33 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 68% by HPLC analysis on Daicel Chirapak IF-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 20.281 min, t_R(minor) 23.757 min; $[a]_D^{20}$ = 23.67 (c=1.15 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.41 (m, J = 7.5, 1.8 Hz, 2H), 7.31 (m, J = 7.1 Hz, 3H), 6.67 (s, 1H), 4.31 – 4.27 (m, 2H), 4.20 (d, J = 7.1 Hz, 1H), 3.99 – 3.95 (m, 1H), 3.61 (d, J = 8.5 Hz, 1H), 1.53 (s, 9H), 1.33 (t, J = 7.1 Hz, 3H).**^{13}C NMR (151 MHz, $CDCl_3$)** δ 169.83, 168.34, 167.53, 131.73, 128.53, 128.32, 122.42, 86.39, 83.62, 83.41, 62.26, 60.02, 54.77, 34.34, 27.98, 14.23, 14.14. **HRMS(ESI)** m/z: $[M+H]^+$ Calculated for $C_{20}H_{24}NO_5^+$ 358.1649; found 358.1659.



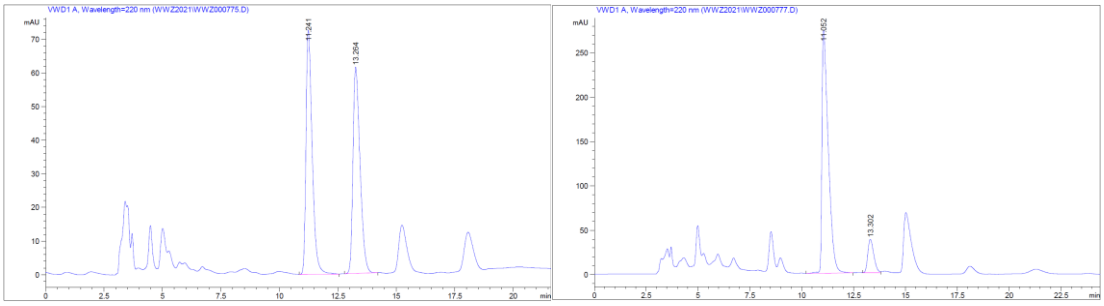
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.834	VB	0.4816	2.09979e4	663.24591	50.3265
2	24.095	MF	0.6347	2.07254e4	544.23157	49.6735

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.281	VB	0.4692	1.24989e4	408.55649	84.2557
2	23.757	BV	0.5348	2335.60156	67.03062	15.7443

2-(tert-butyl) 4-ethyl (2*S*, 3*R*, 4*S*)-5-oxo-3-((trimethylsilyl)ethynyl)pyrrolidine-2,4-dicarboxylate (6e):



Colorless oil (51.5 mg, 73%); R_f = 0.38 (petroleum ether/ ethyl acetate = 2:1); the enantiomeric excess was determined to be 77% by HPLC analysis on Daicel Chirapak IF-H column (hexane/isopropanol = 90/10, flow rate 1.0 mL/min, T = 30 ^{o}C), UV 220 nm, t_R(major) 11.052 min, t_R(minor) 13.302 min; $[a]_D^{20}$ = -9.23 (c=1.12 $CHCl_3$); **^{1}H NMR (600 MHz, $CDCl_3$)** δ 6.52 (s, 1H), 4.31 – 4.23 (m, 2H), 4.09 (d, J = 6.8 Hz, 1H), 3.75 (dd, J = 7.9, 7.0 Hz, 1H), 3.49 (d, J = 8.1 Hz, 1H), 1.51 (s, 9H), 1.32 (t, J = 7.1 Hz, 3H), 0.16 (s, 9H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.14, 168.74, 167.82, 103.29, 88.77, 83.70, 62.52, 60.35, 55.07, 34.84, 28.32, 14.48, 0.37, 0.19**.** $[M+H]^+$ Calculated for $C_{17}H_{30}NO_4Si^+$ 354.1731; found 354.1736.

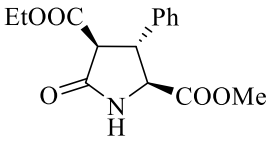
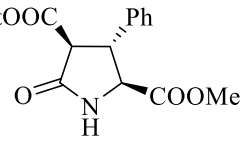


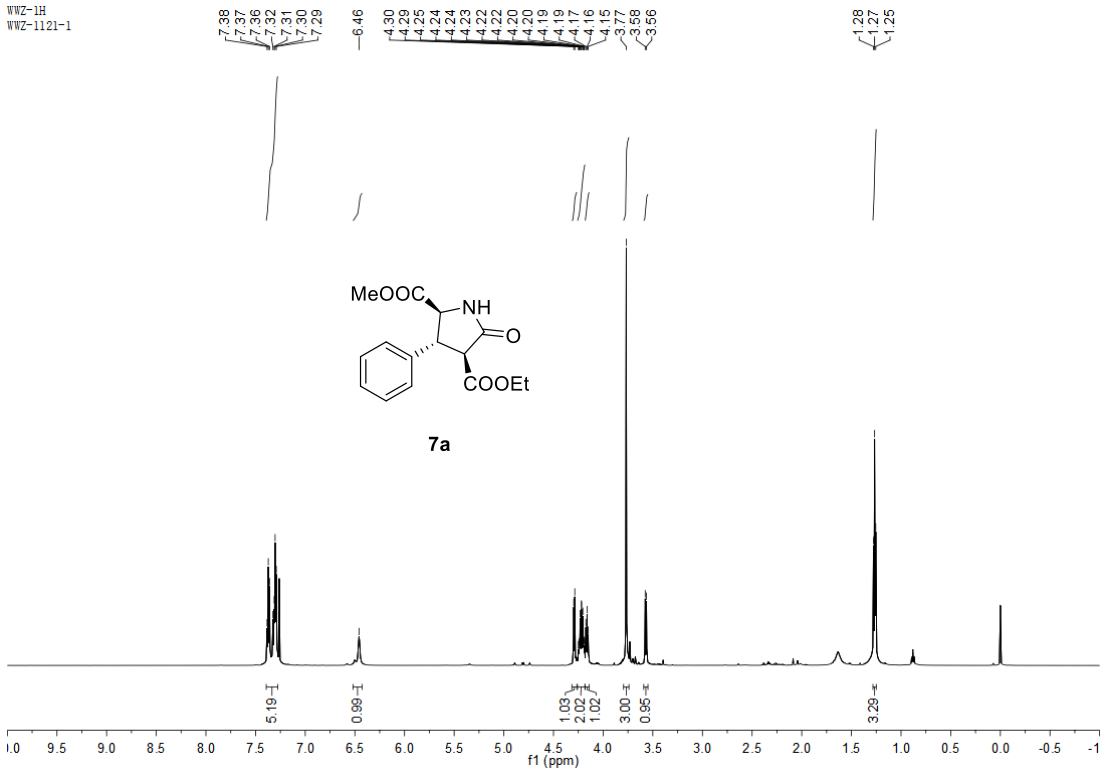
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.241	BB	0.2786	1335.20886	72.62550	50.7987
2	13.264	BB	0.3231	1293.22058	61.37637	49.2013

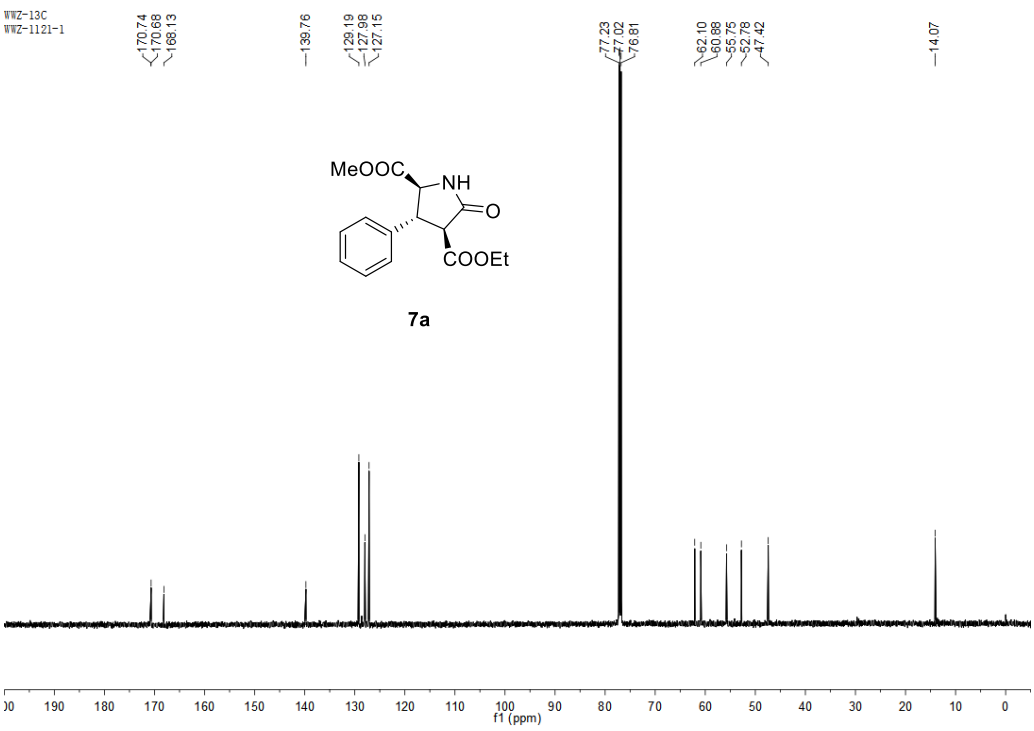
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.052	BB	0.3131	5813.37891	274.04181	88.3635
2	13.302	VV	0.3123	765.55530	37.99312	11.6365

4. Determination of the absolute configuration

The absolute configuration of compound **4-6** was established by comparing its optical rotation value with the known compound 4-ethyl 2-methyl (2S,3R,4S)-5-oxo-3-phenyl-pyrrolidine-2,4-dicarboxylate **(7a)** in literature data:

(2S,3R,4S) - product **(7a)** in this work	(2S,3R,4S) - product in literature[4]
 4-ethyl 2-methyl (2S,3R,4S)- 5-oxo-3-phenylpyrrolidine-2,4-dicarboxylate	 4-ethyl 2-methyl (2S,3R,4S)- 5-oxo-3-phenylpyrrolidine-2,4-dicarboxylate
$[\alpha]_D^{20} = -11.03$ (c = 0.40, $CHCl_3$).	$[\alpha]_D^{20} = -16.3$ (c = 0.6, $CHCl_3$).
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.39 – 7.28 (m, 5H), 6.46 (bs, 1H), 4.29 (d, J = 6.3 Hz, 1H), 4.26 – 4.19 (m, 2H), 4.18 – 4.13 (m, 1H), 3.77 (s, 3H), 3.57 (d, J = 7.7 Hz, 1H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C NMR (151 MHz, $CDCl_3$)** δ 170.74, 170.68, 168.13, 139.76, 129.19, 127.98, 127.15, 62.10, 60.88, 55.75, 52.78, 47.42, 14.07.	**^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.43-7.27 (m, 5H), 6.48 (bs, 1H), 4.29 (d, J = 6.3 Hz, 1H), 4.26-4.18 (m, 2H), 4.17 (dd, J = 6.3, 7.5 Hz, 1H), 3.77 (s, 3H), 3.57 (d, J = 7.6 Hz, 1H), 1.26 (t, J = 7.1 Hz, 3H). **^{13}C NMR (75MHz, $CDCl_3$)** δ 170.7, 170.7, 168.1, 139.7, 129.2, 127.9, 127.1, 62.1, 60.9, 55.3, 52.8, 47.4, 14.1.





5. References

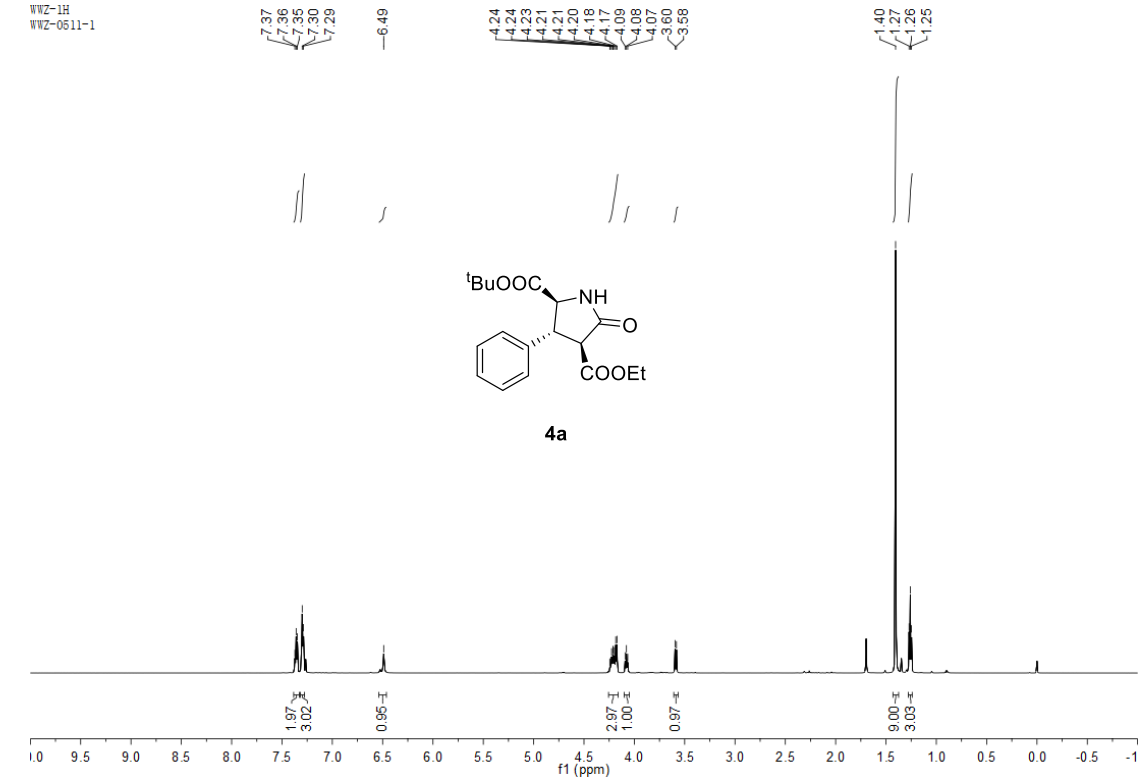
[1]. I. A. Andreev, N. K. Ratmanova, A. U. Augustin, O. A. Ivanova, I. I. Levina, V. N. Khrustalev, D. B. Werz, I. V. Trushkov, *Angew.Chem. Int. Ed.* 2021, **60**,7927–7934.

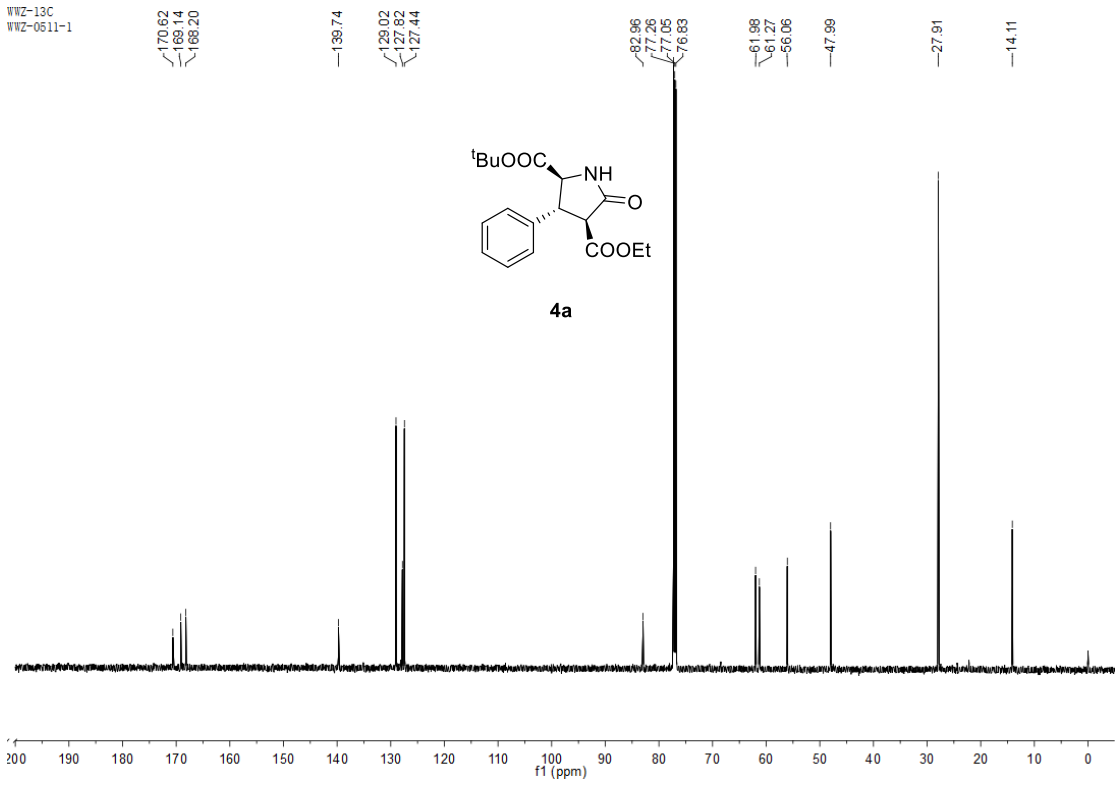
[2]. B.-C. Hong, N. Dange, P.-J. Yen, G.-H. Lee and J.-H. Liao, *Org. Lett.* 2012, **14**, 5346.

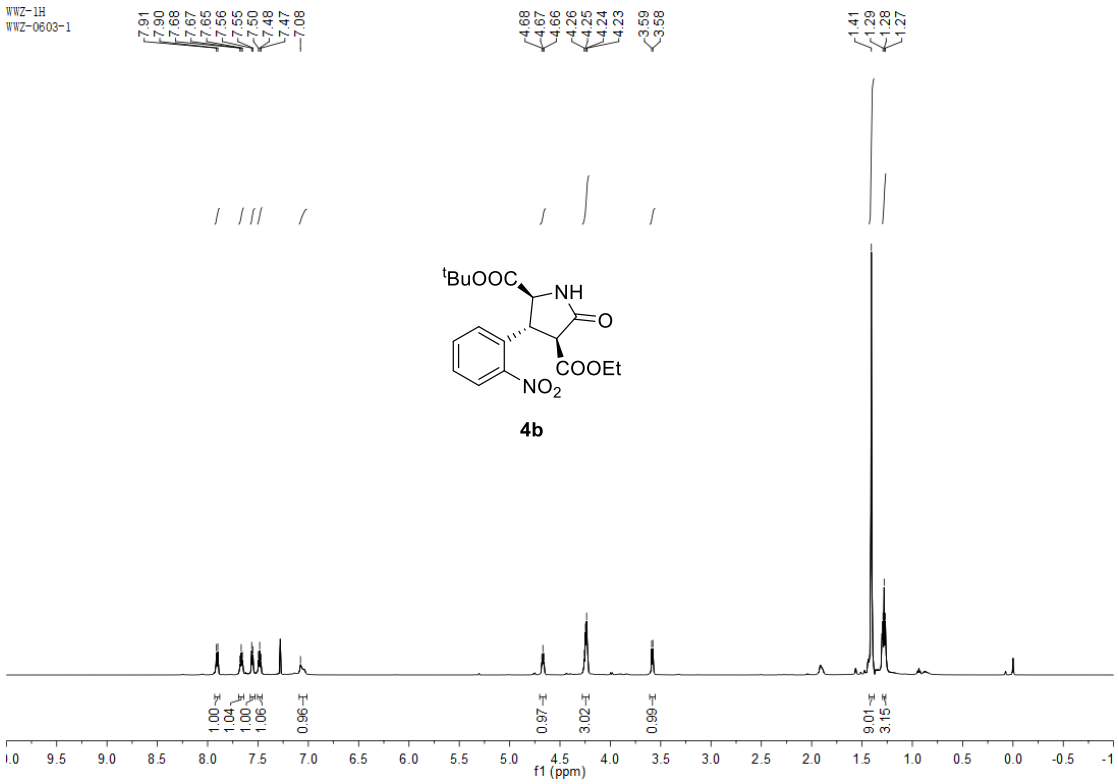
[3]. L. Chen, M.-J. Luo, F. Zhu, W. Wen and Q.-X. Guo, *J. Am. Chem. Soc*. 2018, **140**, 9774.

[4]. J. Hernández-Toribio, R. G. Arrayás and J. C. Carretero, *Chem. – Eur. J.*, 2011, **17**, 6334-6337.

6. The spectrums of ^{1}H NMR and ^{13}C NMR



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7.67
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7.56
7.55
7.50
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4.66
4.26
4.25
4.24
4.23
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3.58
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1.28
1.27
tBuOOC
NH
O
COOEt
NO2
4b
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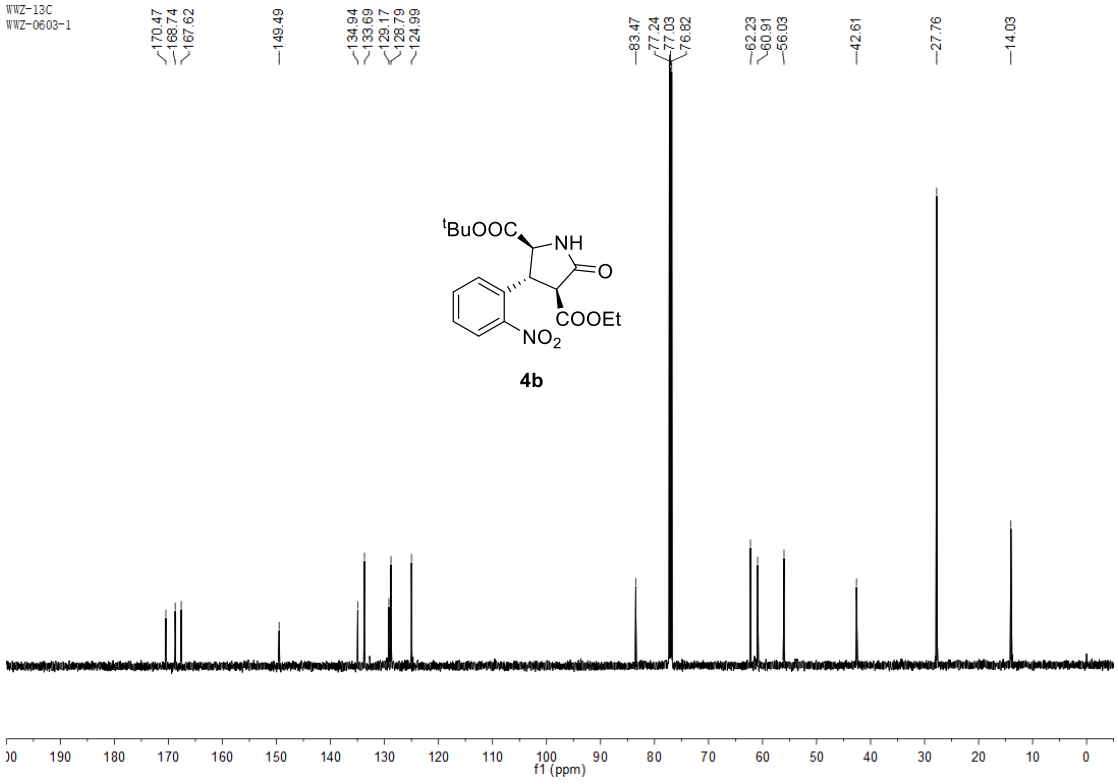
1.00
1.06
0.96
0.97
3.02
0.99
9.01
3.15
f1 (ppm)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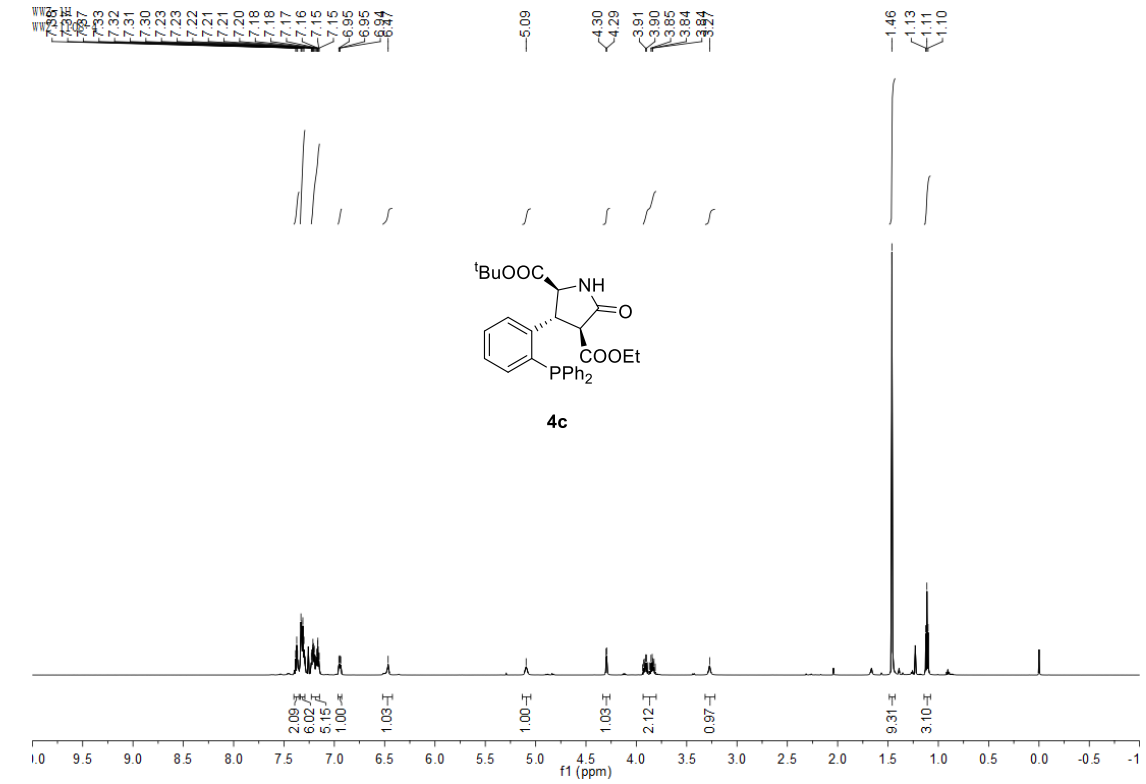
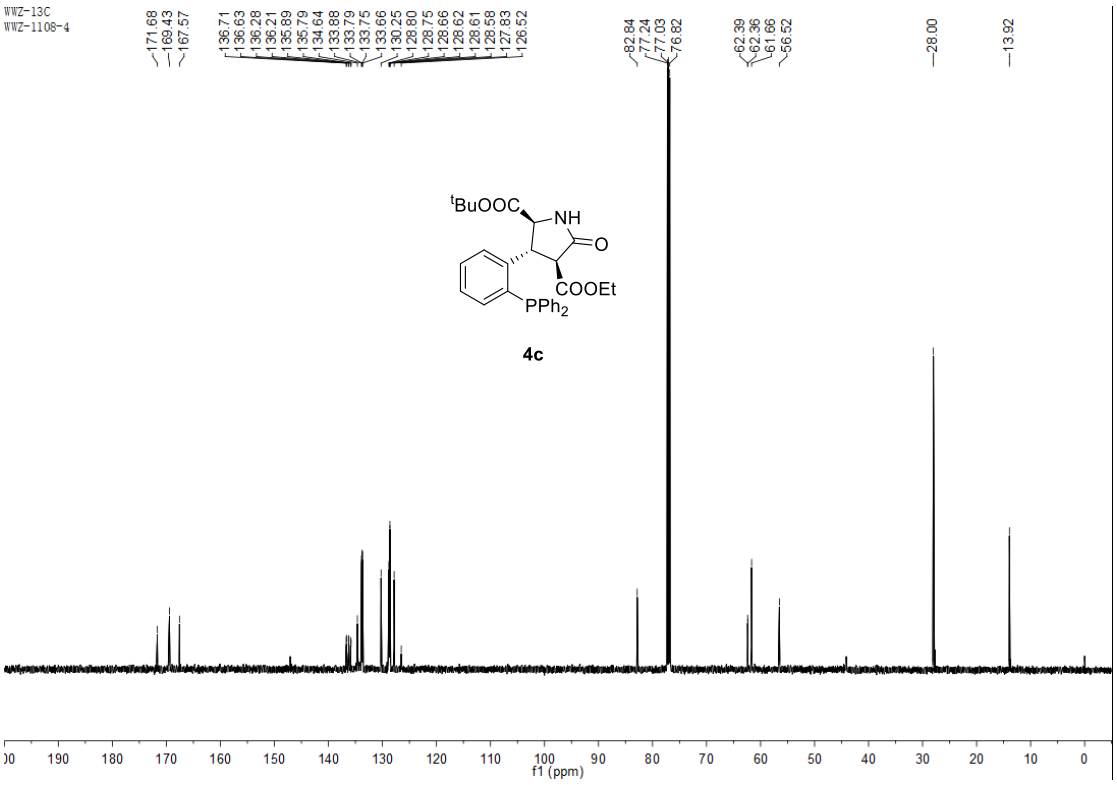
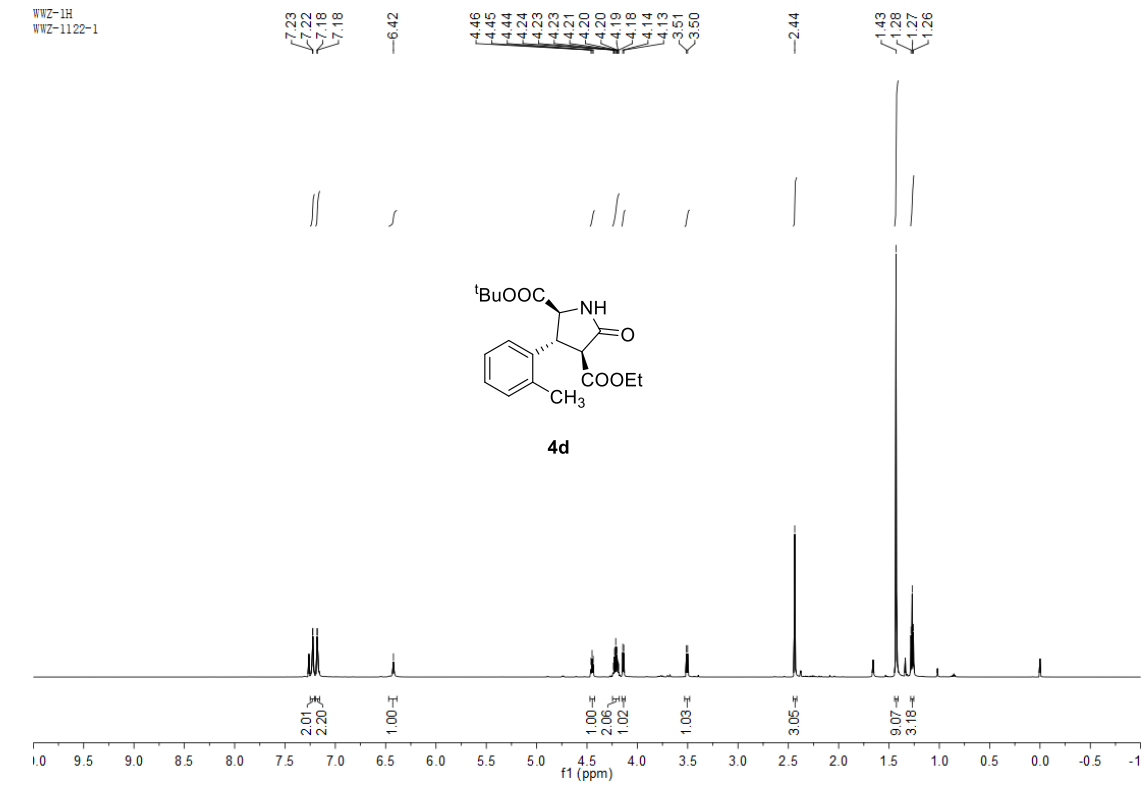
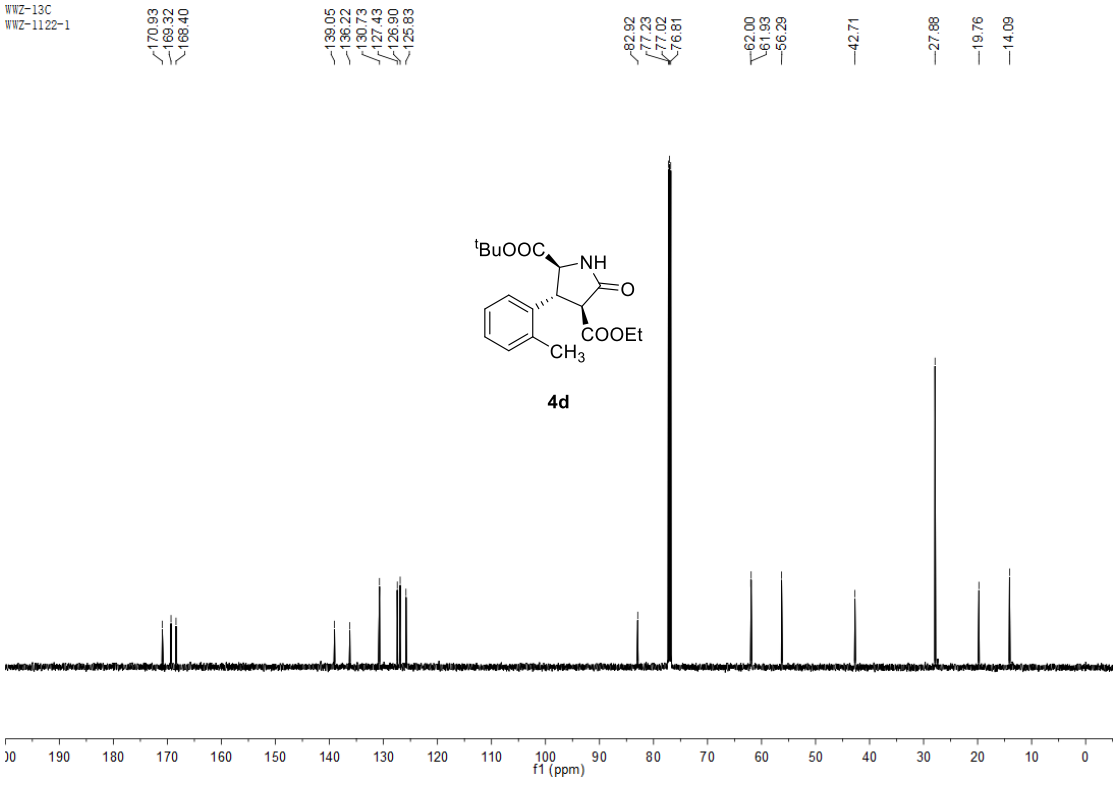
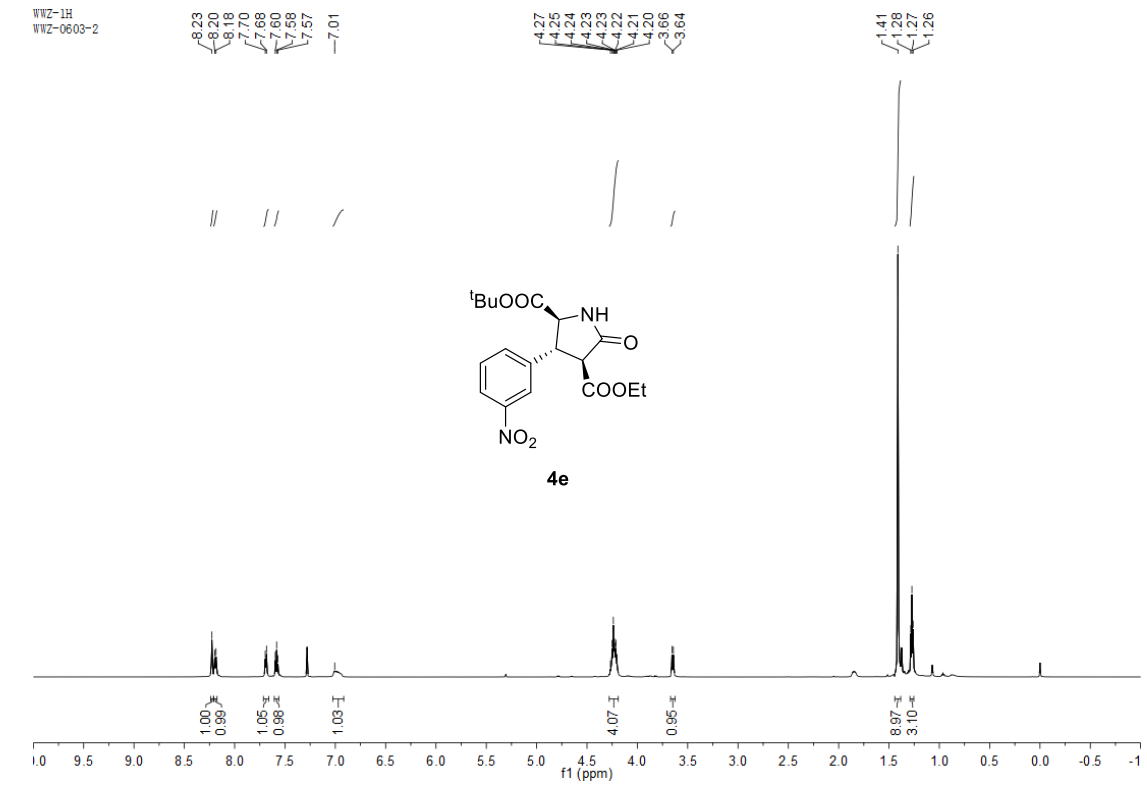
14.03
tBuOOC
NH
O
COOEt
NO2
4b
f1 (ppm)

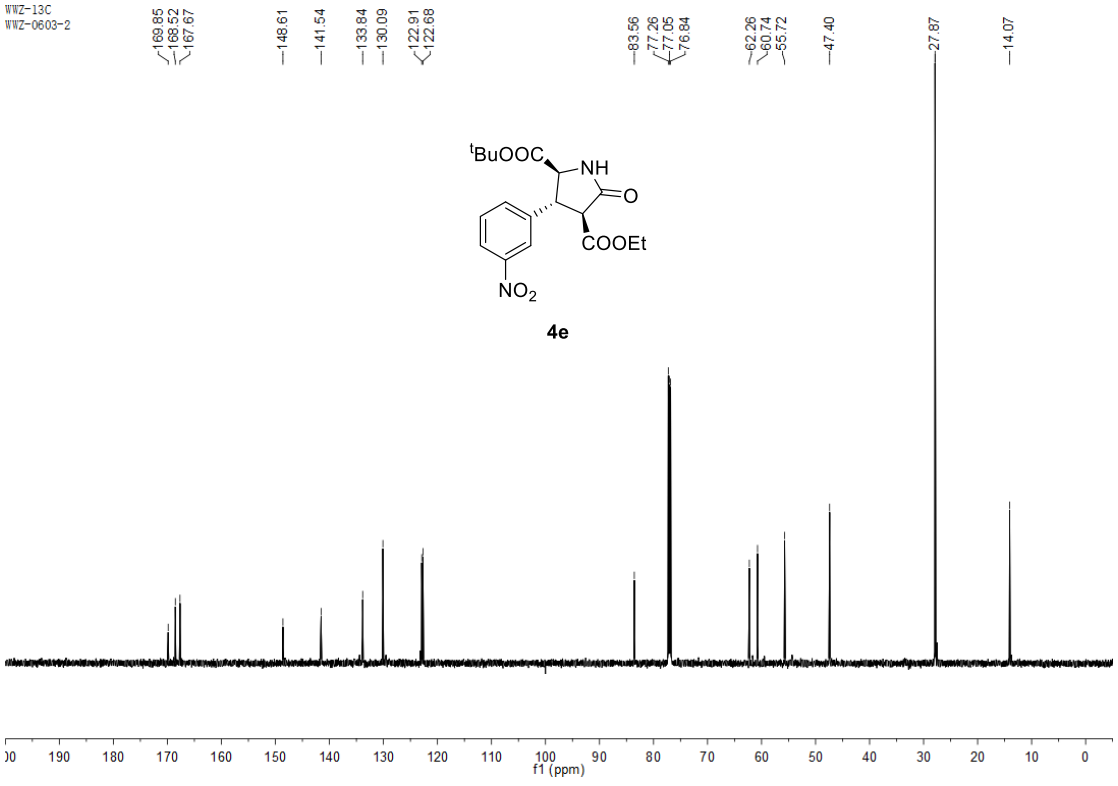

tBuOOC
NH
O
COOEt
PPh2
4c
f1 (ppm)

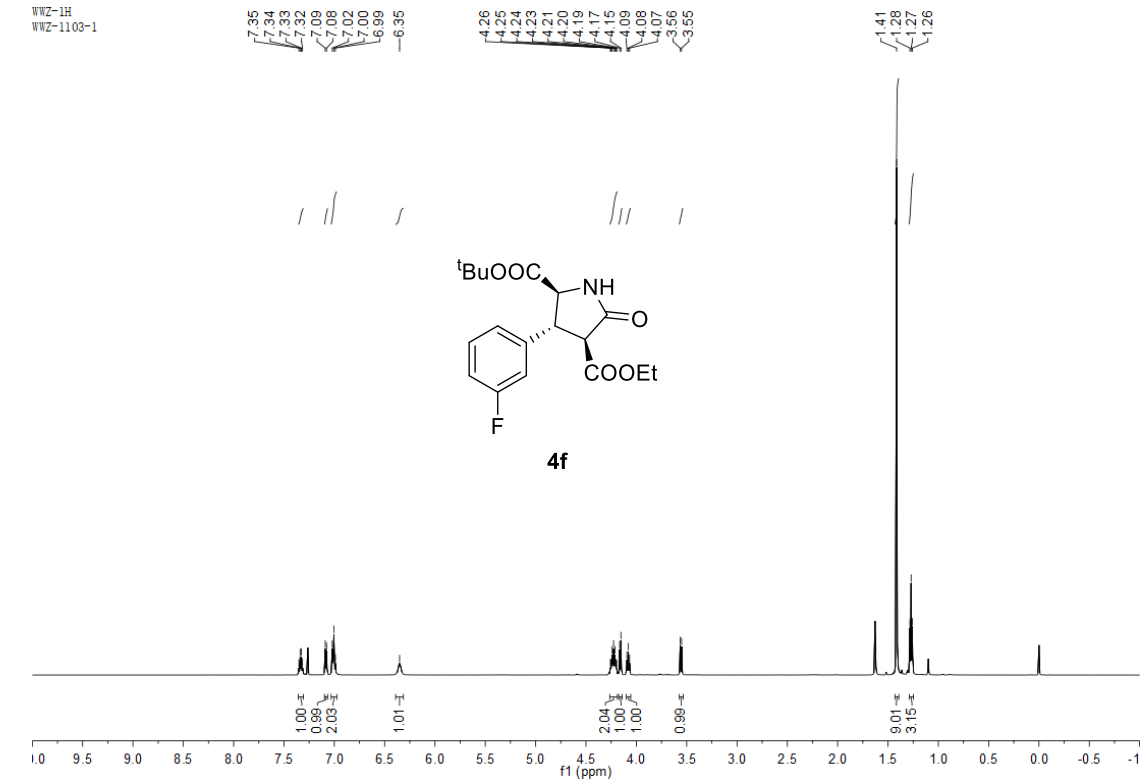

WWZ-13C
WWZ-1108-4
tBuOOC
NH
O
COOEt
PPh2
4c
f1 (ppm)

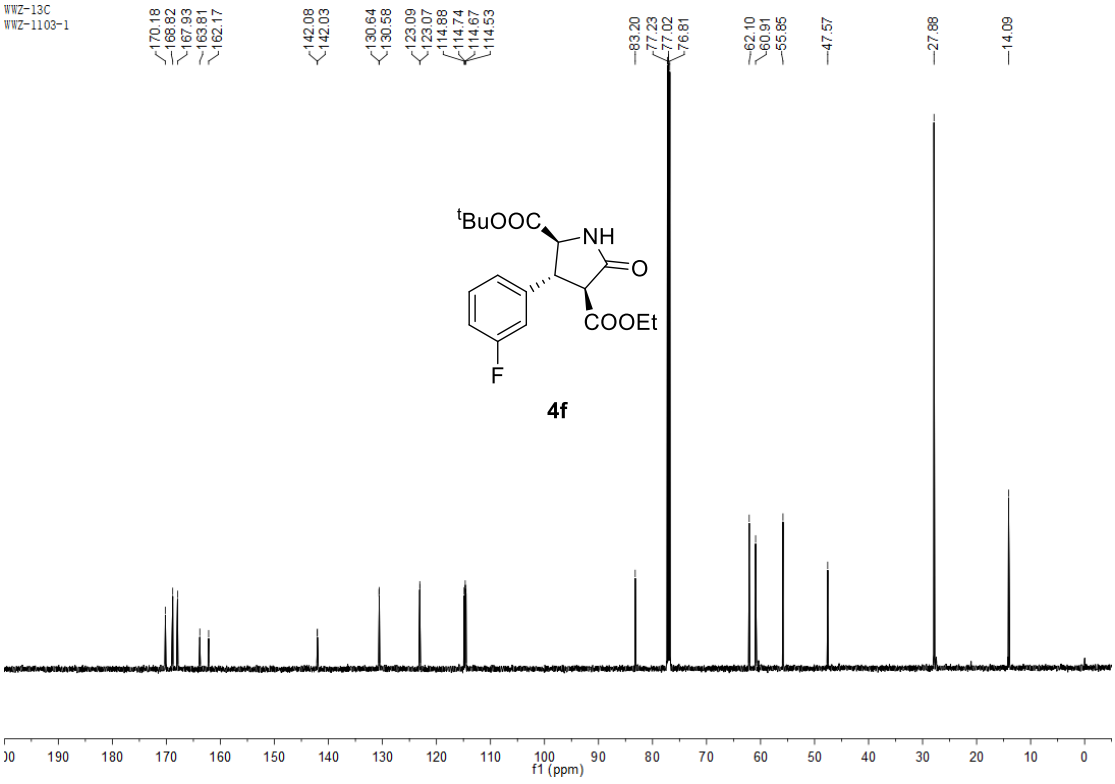

WWZ-1H
WWZ-1122-1
7.23
7.22
7.18
7.18
6.42
4.46
4.45
4.44
4.24
4.23
4.23
4.21
4.20
4.20
4.19
4.18
4.14
4.13
3.51
3.50
2.44
1.43
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
CH3
4d
2.01
2.20
1.00
1.00
2.06
1.02
1.03
3.05
9.07
3.18
f1 (ppm)

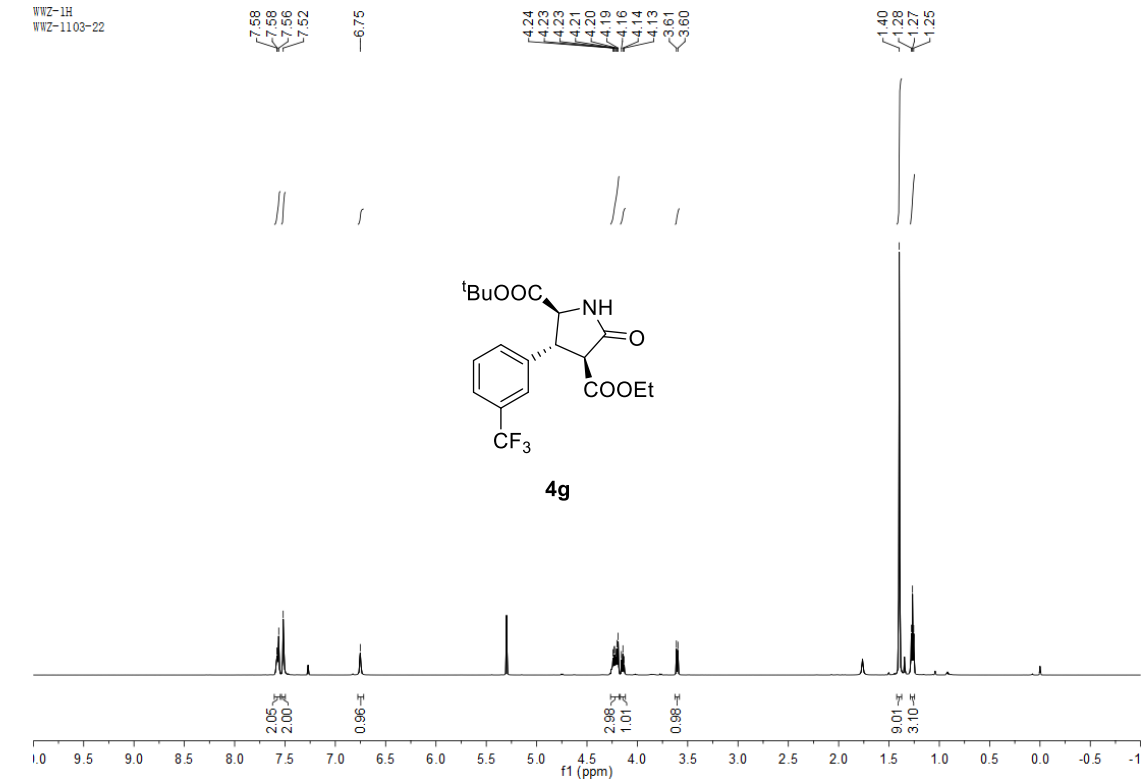

WWZ-13C
WWZ-1122-1
170.93
169.32
168.40
139.05
136.22
130.73
127.43
126.90
125.83
82.92
77.23
77.02
76.81
62.00
61.93
56.29
42.71
27.88
19.76
14.09
tBuOOC
NH
O
COOEt
CH3
4d
f1 (ppm)


WWZ-1H
WWZ-0603-2
8.23
8.20
8.18
7.70
7.68
7.60
7.58
7.57
7.01
4.27
4.25
4.24
4.23
4.22
4.21
4.20
3.66
3.64
1.41
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
NO2
4e
1.00
0.99
1.05
0.98
1.03
4.07
0.95
8.97
3.10
f1 (ppm)

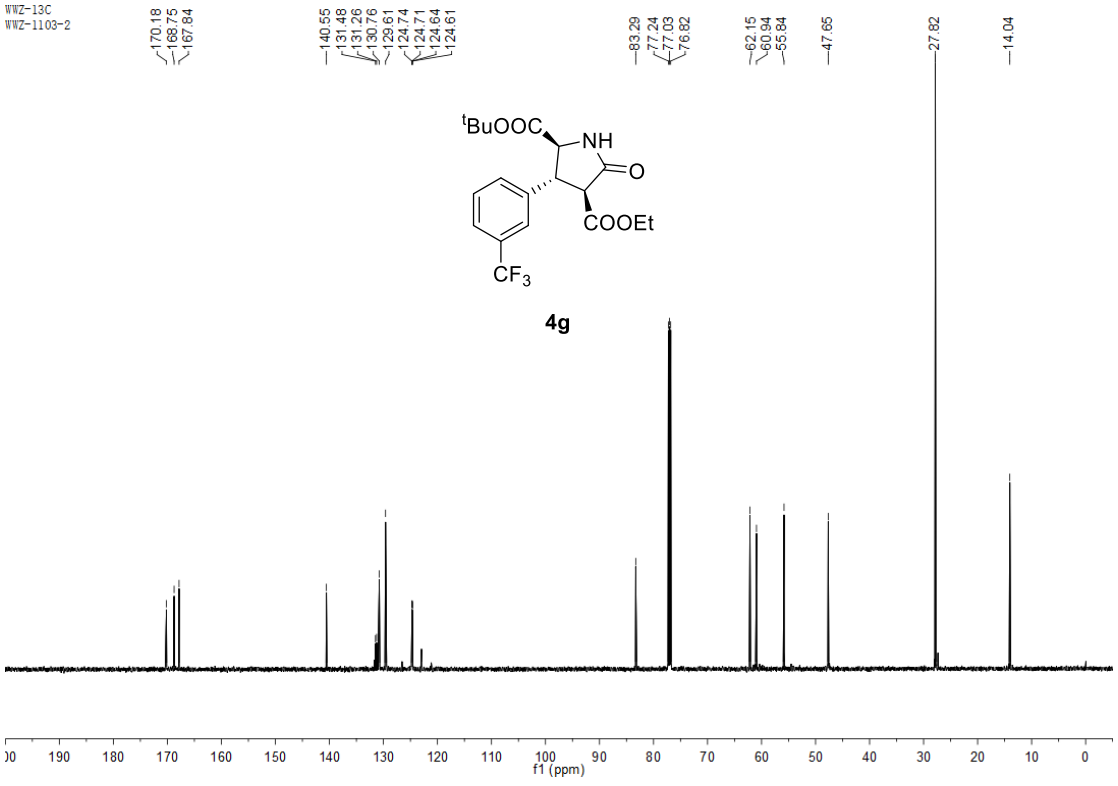

WWZ-13C
WWZ-0603-2
169.85
168.52
167.67
148.61
141.54
133.84
130.09
122.91
122.68
83.56
77.26
77.05
76.84
62.26
60.74
55.72
47.40
27.87
14.07
tBuOOC
NH
O
COOEt
NO2
4e
f1 (ppm)


WWZ-1H
WWZ-1103-1
7.35
7.34
7.33
7.32
7.09
7.08
7.02
7.00
6.99
6.35
4.26
4.25
4.24
4.23
4.21
4.20
4.19
4.17
4.15
4.09
4.08
4.07
3.56
3.55
1.41
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
F
4f
1.00
0.99
2.03
1.01
2.04
1.00
1.00
0.99
9.01
3.15
f1 (ppm)

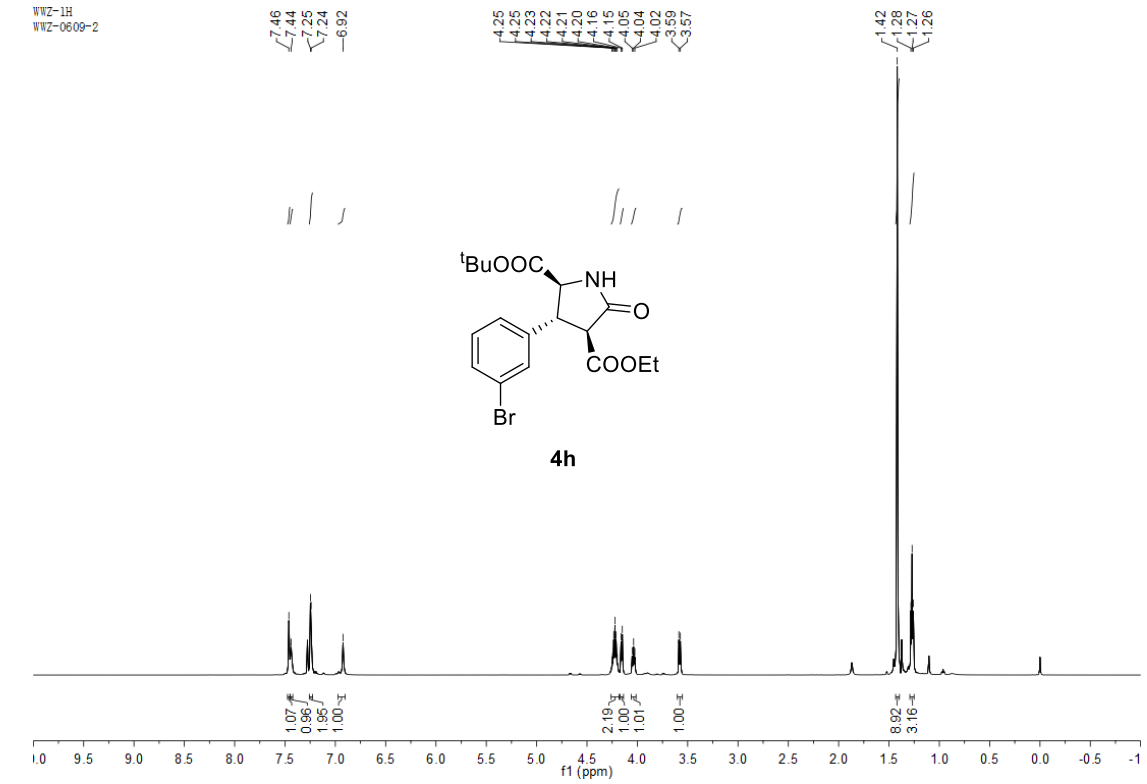

WWZ-13C
WWZ-1103-1
170.18
169.82
167.93
163.81
162.17
142.08
142.03
130.64
130.58
123.09
123.07
114.88
114.74
114.67
114.53
83.20
77.23
77.02
76.81
62.10
60.91
55.85
47.57
27.88
14.09
tBuOOC
NH
O
COOEt
F
4f
f1 (ppm)

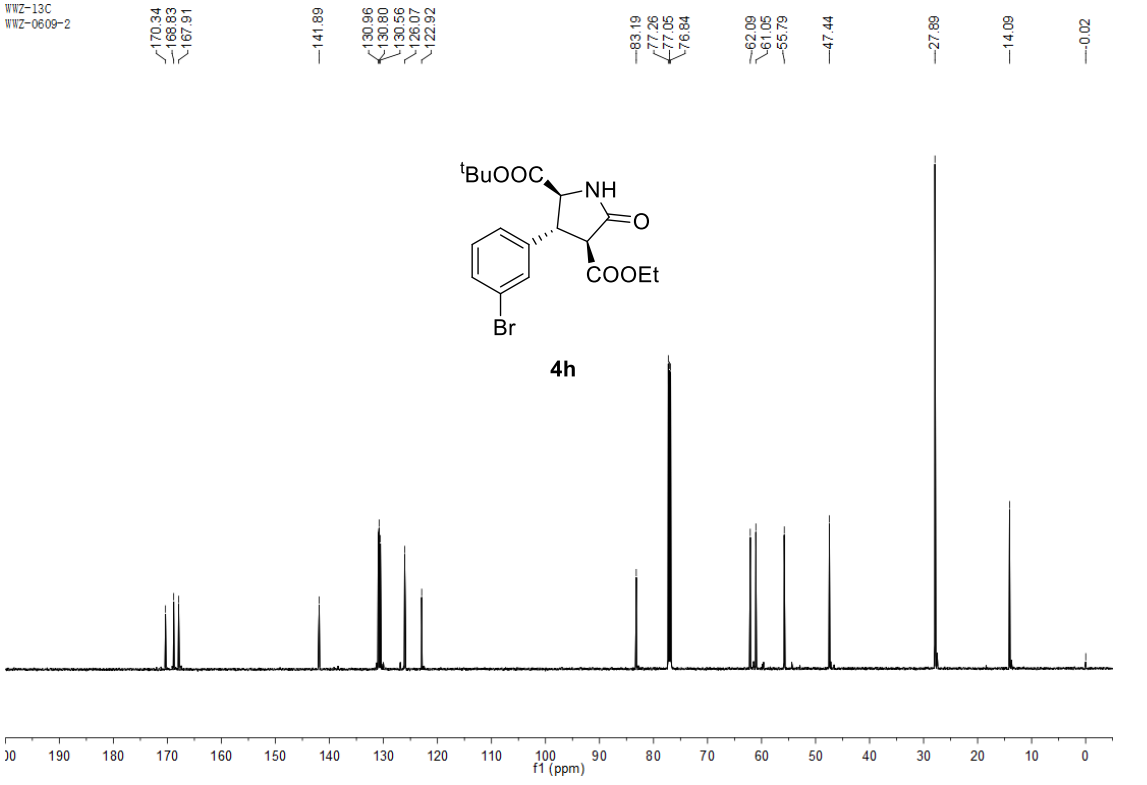

WWZ-1H
WWZ-1103-22
7.58
7.58
7.56
7.52
6.75
4.24
4.23
4.23
4.21
4.20
4.19
4.16
4.14
4.13
3.61
3.60
1.40
1.28
1.27
1.25
tBuOOC
NH
O
COOEt
CF3
2.05
2.00
0.96
2.98
1.01
0.98
9.01
3.10
f1 (ppm)

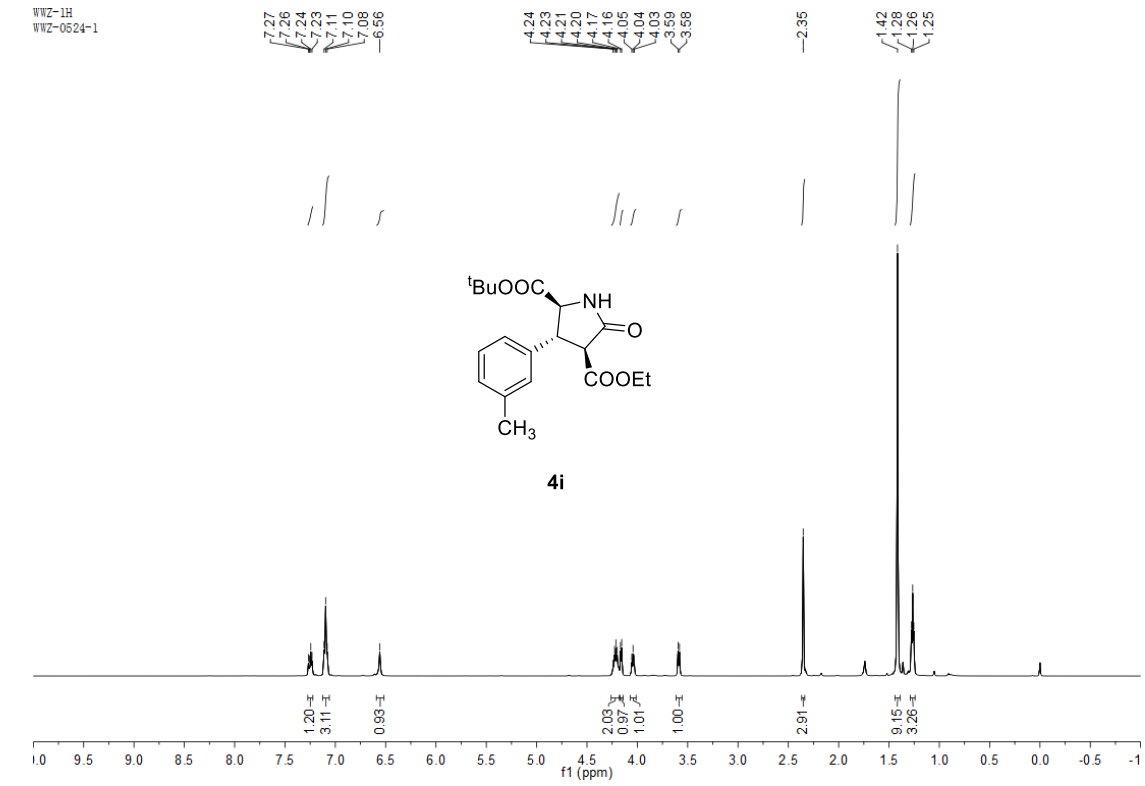
4g


WWZ-13C
WWZ-1103-2
170.18
169.75
167.84
140.55
131.48
131.26
130.76
129.61
124.74
124.71
124.64
124.61
83.29
77.24
77.03
76.82
62.15
60.94
55.84
47.65
27.82
14.04
tBuOOC
NH
O
COOEt
CF3
f1 (ppm)

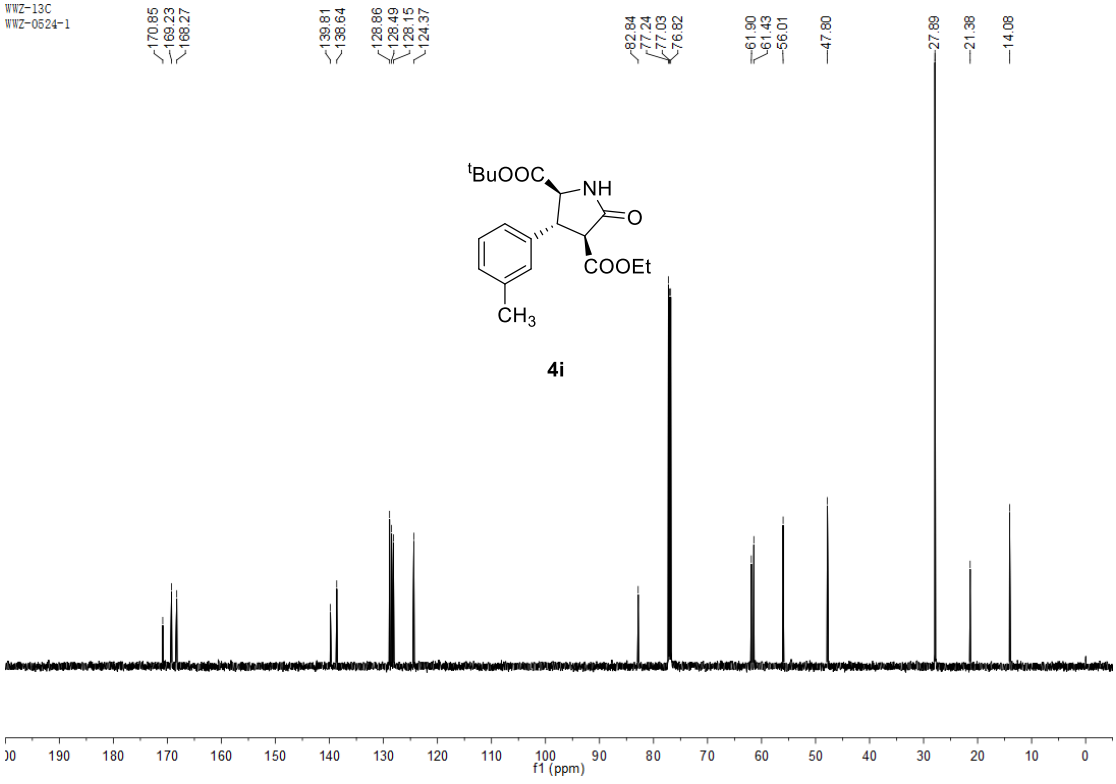
4g


WWZ-1H
WWZ-0609-2
7.46
7.44
7.25
7.24
6.92
4.25
4.25
4.23
4.22
4.21
4.20
4.16
4.15
4.05
4.04
4.02
3.59
3.57
1.42
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
Br
4h
1.07
0.96
1.95
1.00
2.19
1.00
1.01
1.00
8.92
3.16
f1 (ppm)

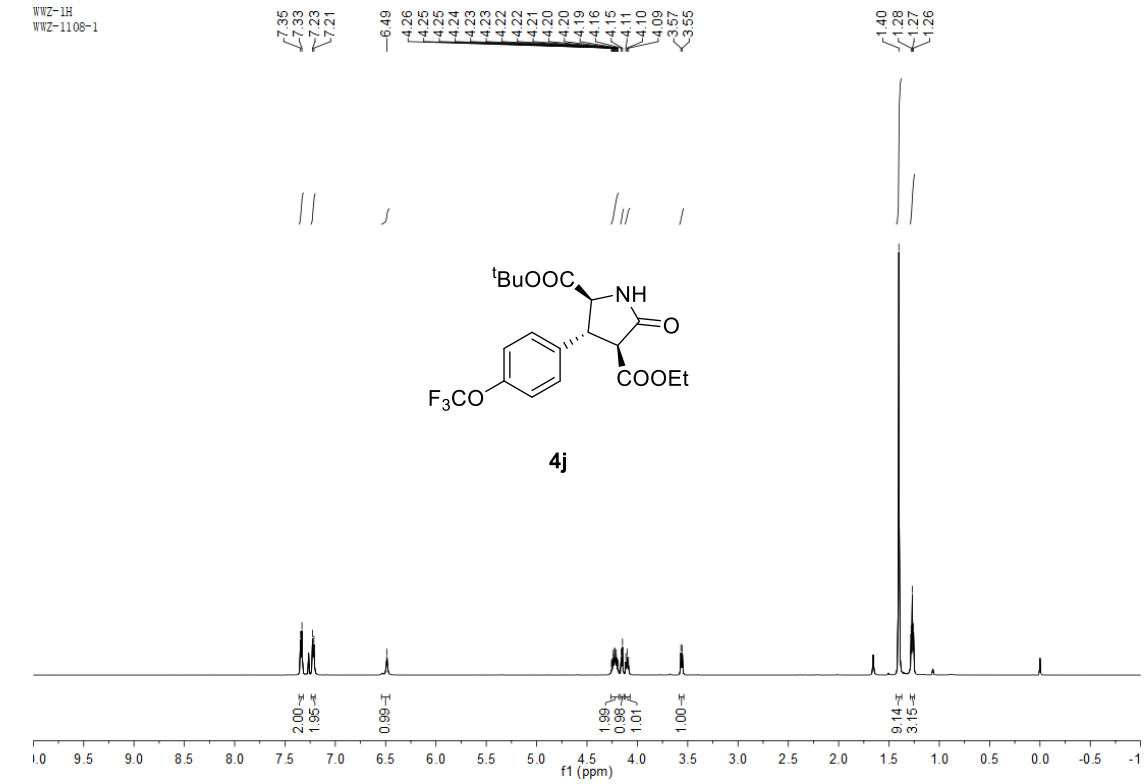

WWZ-13C
WWZ-0609-2
170.34
169.83
167.91
141.89
130.96
130.80
130.56
126.07
122.92
83.19
77.26
77.05
76.84
62.09
61.05
55.79
47.44
27.89
14.09
-0.02
tBuOOC
NH
O
COOEt
Br
4h
f1 (ppm)


WWZ-1H
WWZ-0524-1
7.27
7.26
7.24
7.23
7.11
7.10
7.08
6.56
4.24
4.23
4.21
4.20
4.17
4.16
4.05
4.04
4.03
3.59
3.58
2.35
1.42
1.28
1.26
1.25
tBuOOC
NH
O
COOEt
CH3
1.20
3.11
0.93
2.03
0.97
1.01
1.00
2.91
9.15
3.26
f1 (ppm)

4i


WWZ-13C
WWZ-0524-1
170.85
169.23
168.27
139.81
138.64
128.86
128.49
128.15
124.37
82.84
77.24
77.03
76.82
61.90
61.43
56.01
47.80
27.89
21.38
14.08
tBuOOC
NH
O
COOEt
CH3
f1 (ppm)

4i


WWZ-1H
WWZ-1108-1
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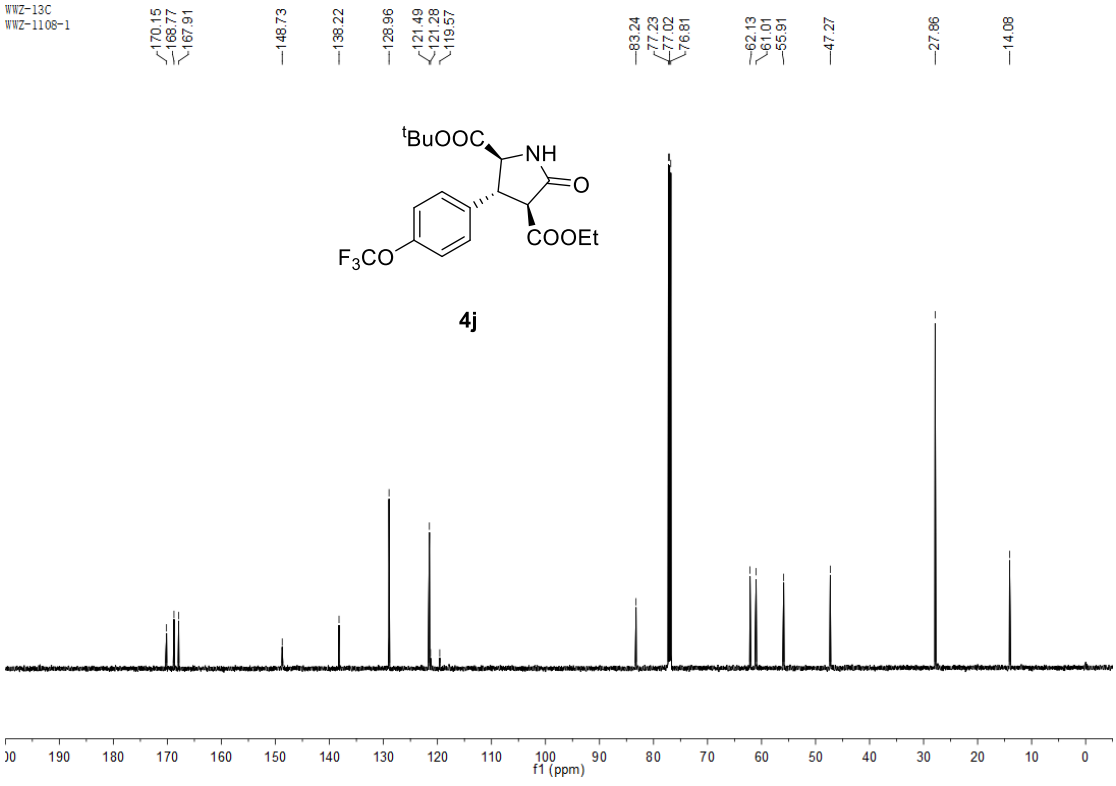
7.33
7.23
7.21
6.49
4.26
4.25
4.25
4.24
4.23
4.23
4.22
4.22
4.21
4.20
4.20
4.19
4.16
4.15
4.11
4.10
4.09
3.57
3.55
1.40
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
F3CO
4j
2.00
1.95
0.99
1.99
0.98
1.01
1.00
9.14
3.15
f1 (ppm)


WWZ-13C
WWZ-1108-1
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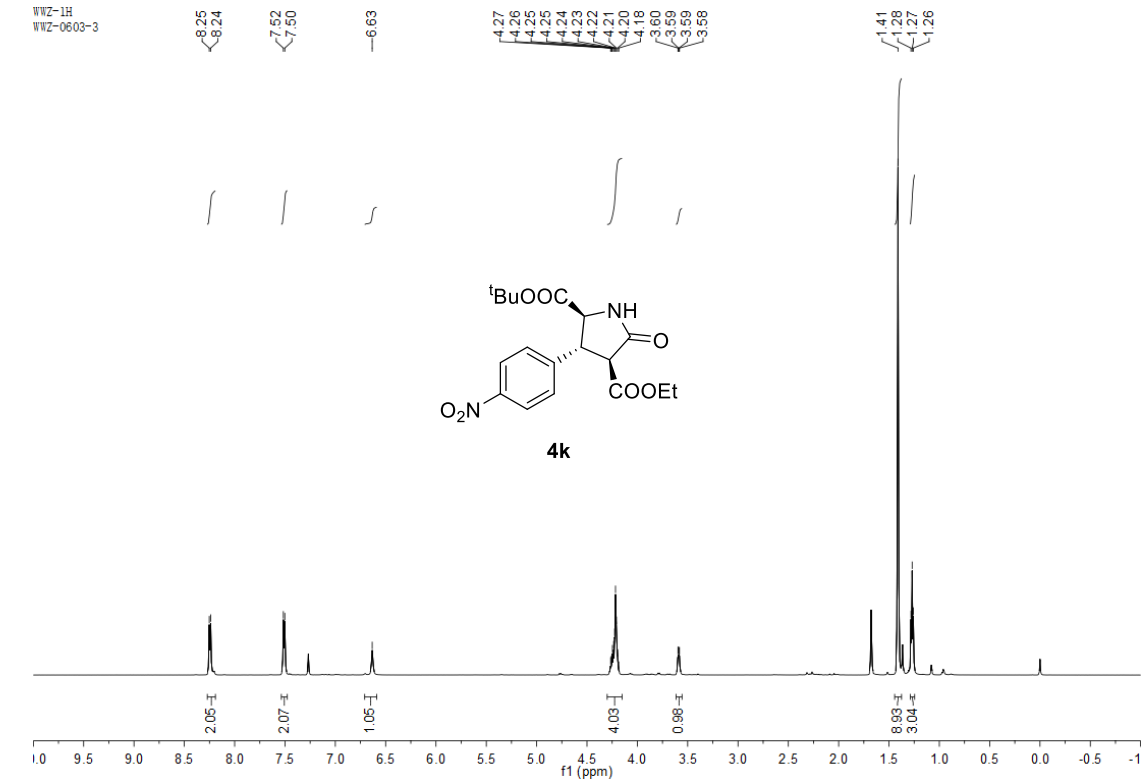
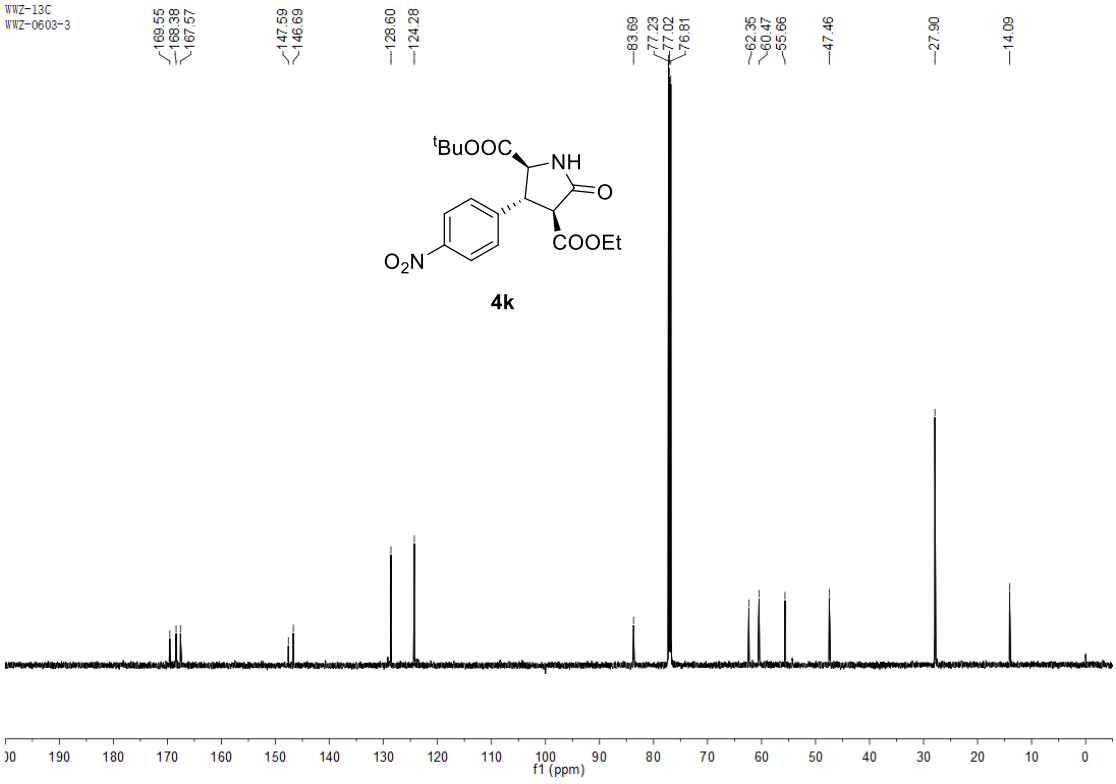
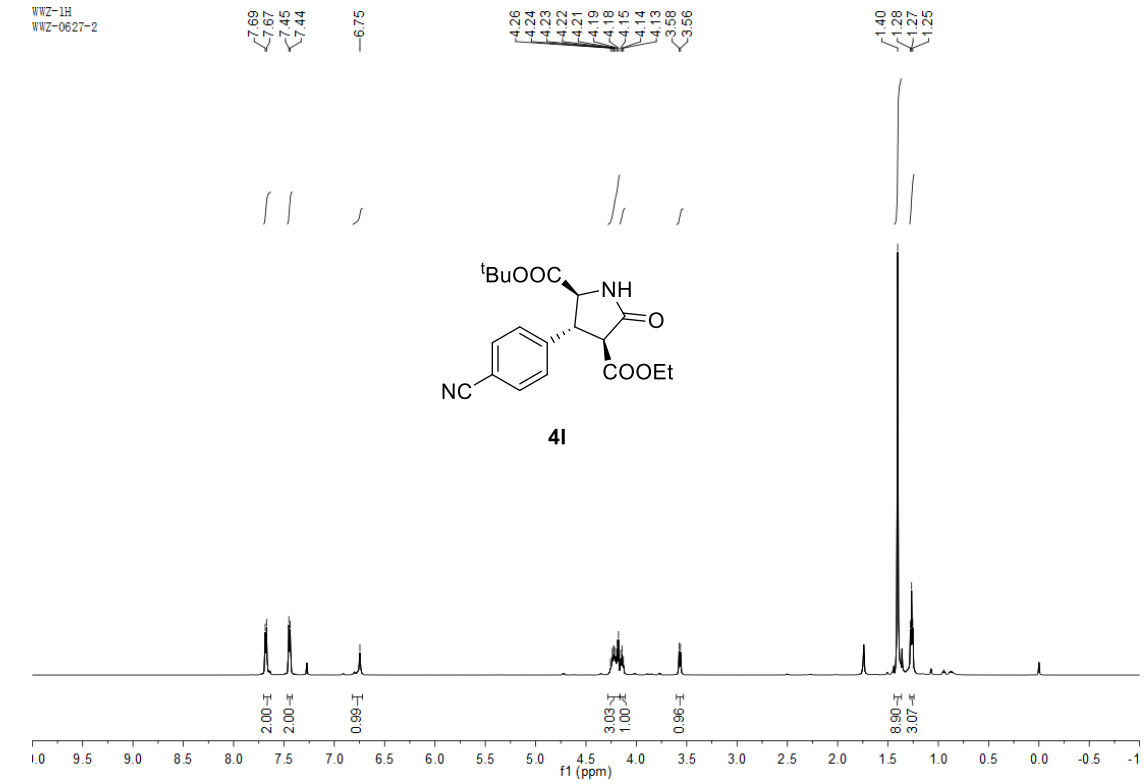
168.77
167.91
148.73
138.22
128.96
121.49
121.28
119.57
83.24
77.23
77.02
76.81
62.13
61.01
55.91
47.27
27.86
14.08
tBuOOC
NH
O
COOEt
F3CO
4j
f1 (ppm)


WWZ-1H
WWZ-0603-3
8.25
8.24
7.52
7.50
6.63
4.27
4.26
4.25
4.25
4.24
4.23
4.22
4.21
4.20
4.18
3.60
3.59
3.59
3.59
3.58
1.41
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
O2N
4k
2.05
2.07
1.05
4.03
0.98
8.93
3.04
f1 (ppm)


WWZ-13C
WWZ-0603-3
169.55
168.38
167.57
147.59
146.69
128.60
124.28
83.69
77.23
77.02
76.81
62.35
60.47
55.66
47.46
27.90
14.09
tBuOOC
NH
O
COOEt
O2N
4k
f1 (ppm)


WWZ-1H
WWZ-0627-2
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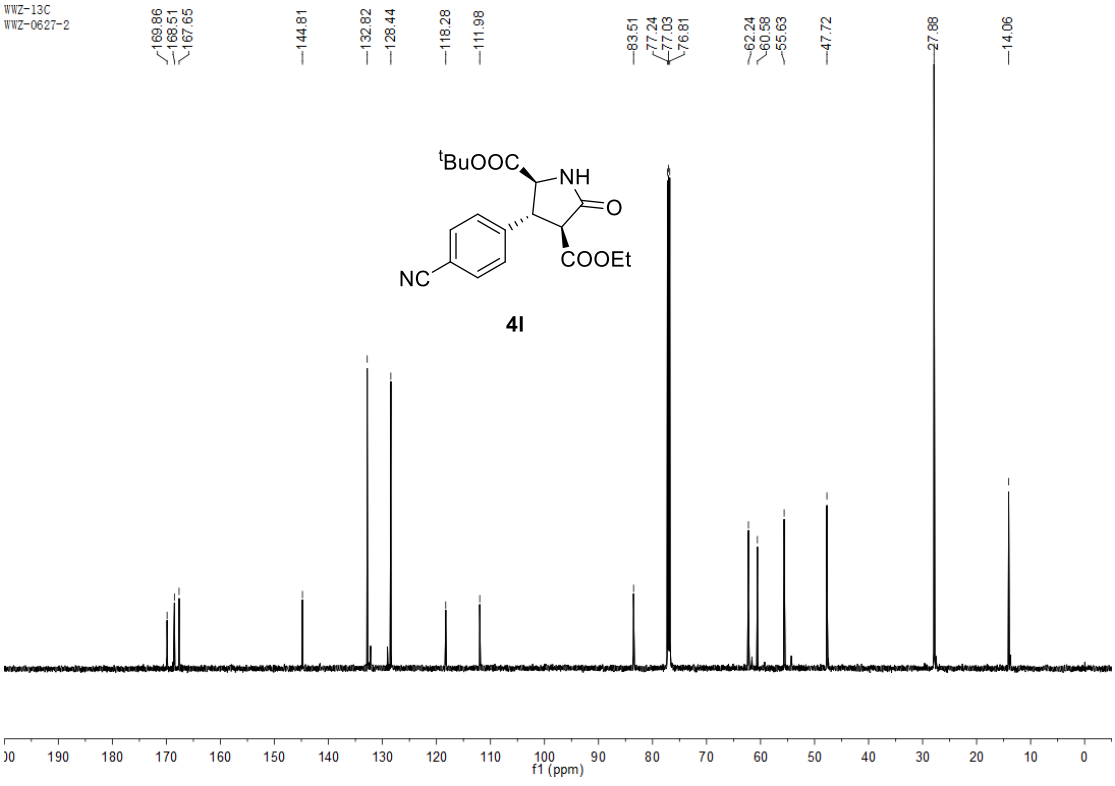
7.67
7.45
7.44
6.75
4.26
4.24
4.23
4.22
4.21
4.19
4.18
4.15
4.14
4.13
3.58
3.56
1.40
1.28
1.27
1.25
tBuOOC
NH
O
COOEt
NC
4l
2.00
2.00
0.99
3.03
1.00
0.96
8.90
3.07
f1 (ppm)


WWZ-13C
WWZ-0627-2
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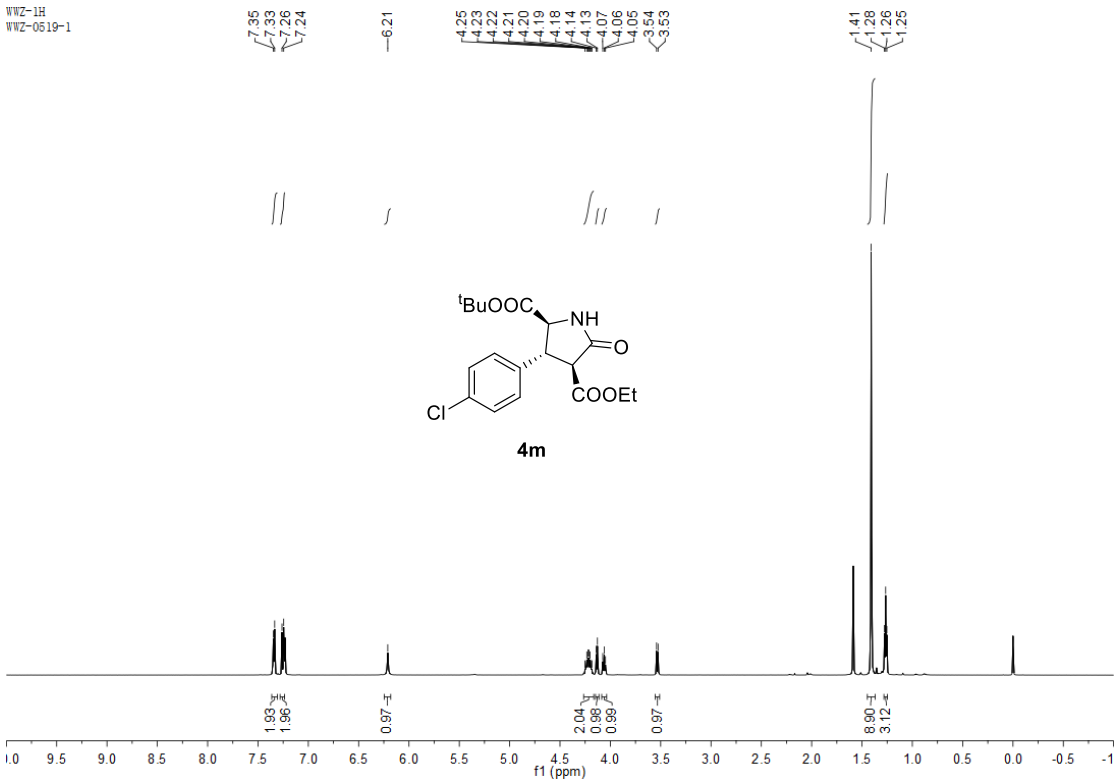
168.51
167.65
144.81
132.82
128.44
118.28
111.98
83.51
77.24
77.03
76.81
62.24
60.58
55.63
47.72
27.88
14.06
tBuOOC
NH
O
COOEt
NC
4l
f1 (ppm)


WWZ-1H
WWZ-0519-1
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7.33
7.26
7.24
6.21
4.25
4.23
4.22
4.21
4.20
4.19
4.18
4.14
4.13
4.07
4.06
4.05
3.54
3.53
1.41
1.28
1.26
1.25
tBuOOC
NH
O
COOEt
Cl
4m
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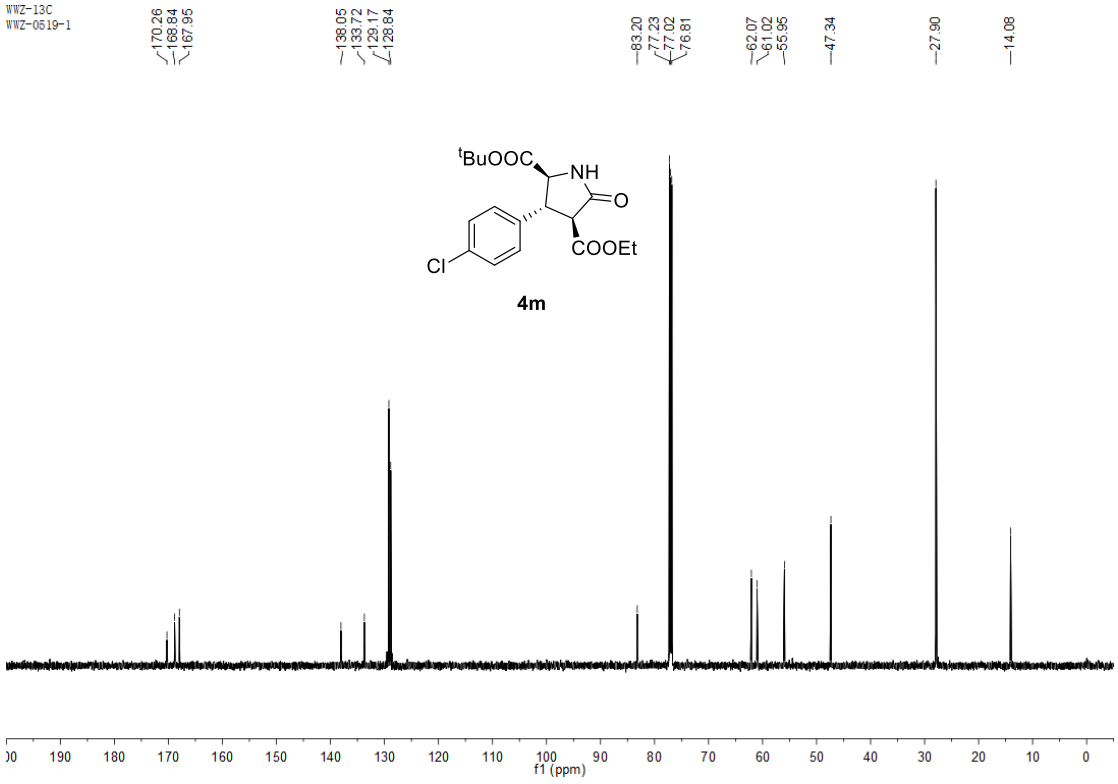
1.96
0.97
2.04
0.98
0.99
0.97
8.90
3.12
f1 (ppm)


WWZ-13C
WWZ-0519-1
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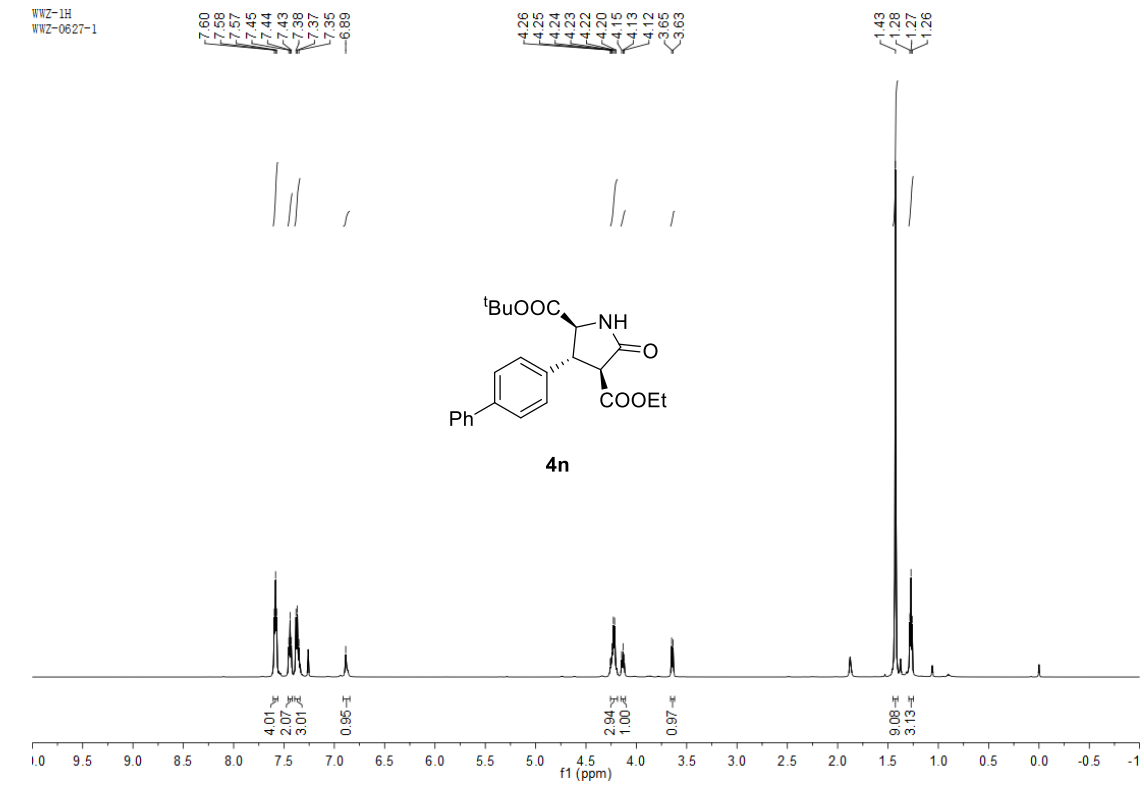
169.84
167.95
138.05
133.72
129.17
128.84
83.20
77.23
77.02
76.81
62.07
61.02
55.95
47.34
27.90
14.08
tBuOOC
NH
O
COOEt
Cl
4m
f1 (ppm)


WWZ-1H
WWZ-0627-1
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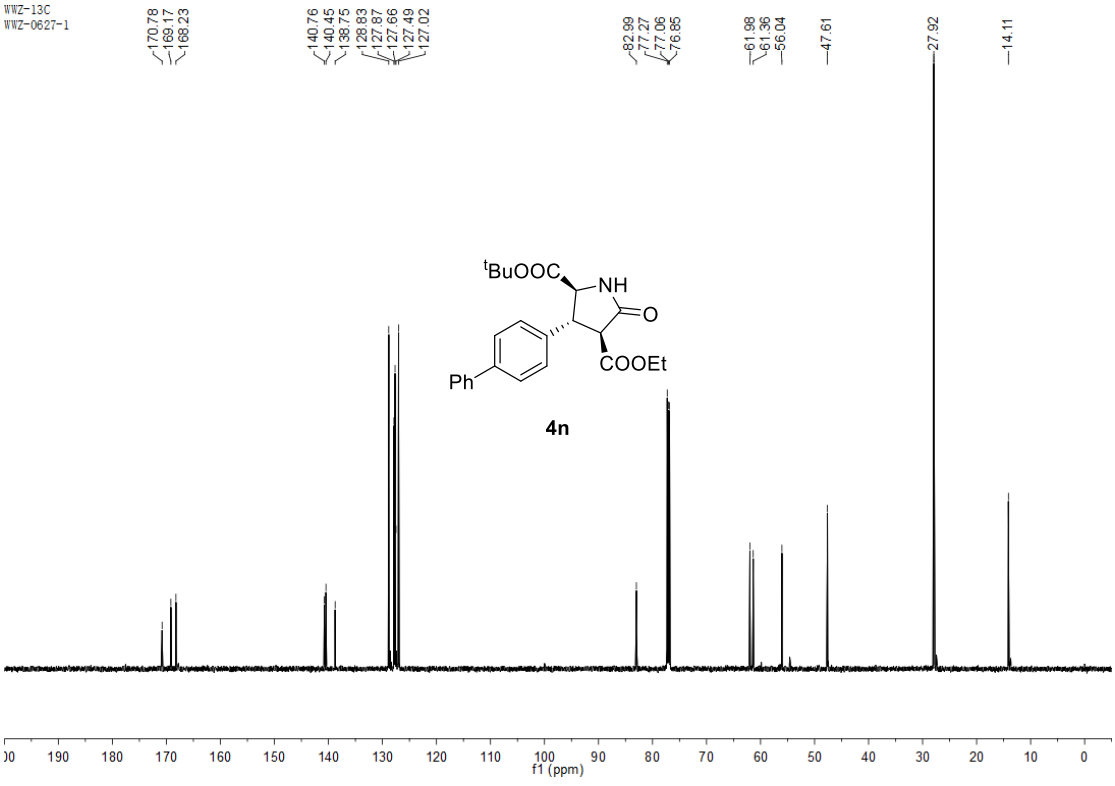
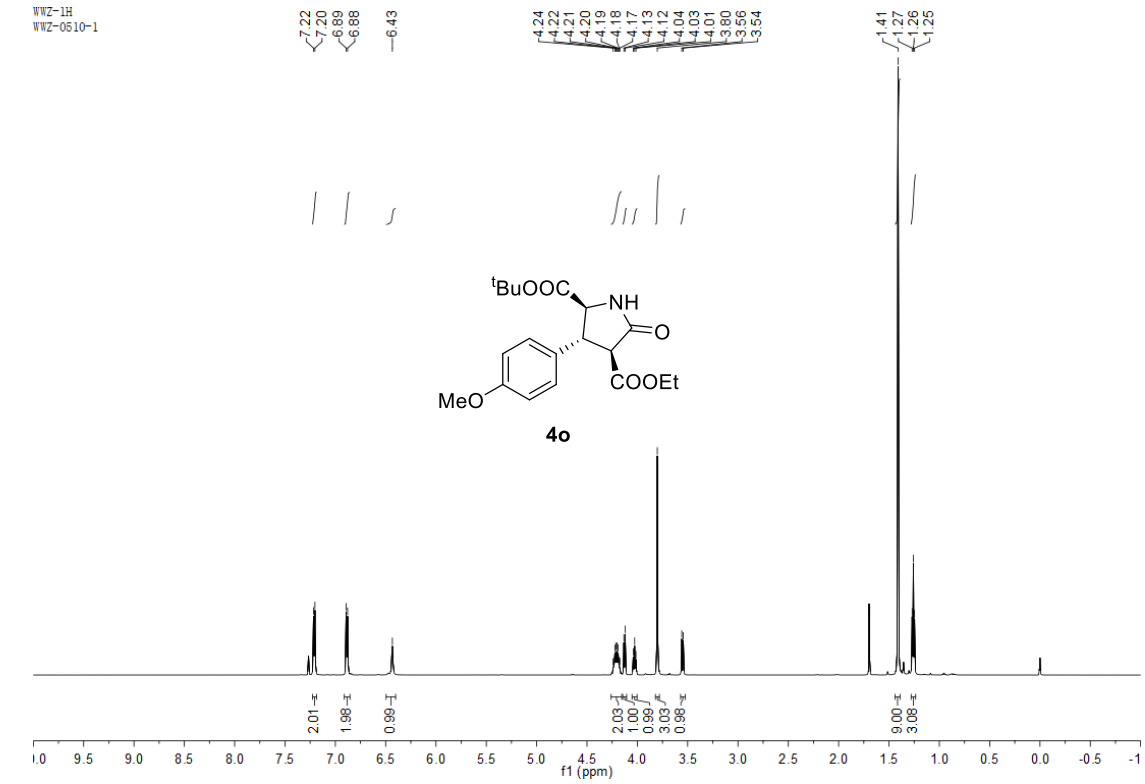
7.58
7.57
7.45
7.44
7.43
7.38
7.37
7.35
6.89
4.26
4.25
4.24
4.23
4.22
4.20
4.15
4.13
4.12
3.65
3.63
1.43
1.28
1.27
1.26
tBuOOC
NH
O
COOEt
Ph
4n
4.01
2.07
3.01
0.95
2.94
1.00
0.97
9.08
3.13
f1 (ppm)


WWZ-13C
WWZ-0627-1
170.78
169.17
168.23
140.76
140.45
138.75
128.83
127.87
127.66
127.49
127.02
82.99
77.27
77.06
76.85
61.98
61.36
56.04
47.61
27.92
14.11
tBuOOC
NH
O
COOEt
Ph
4n
f1 (ppm)


WWZ-1H
WWZ-0510-1
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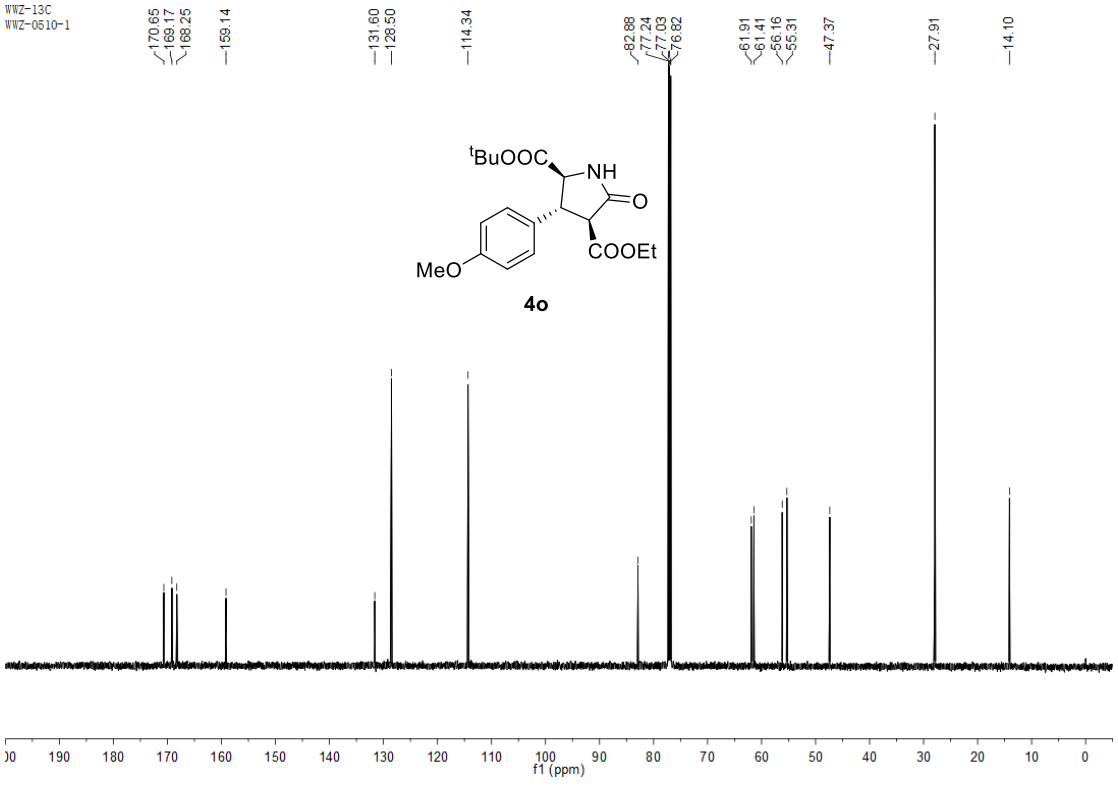
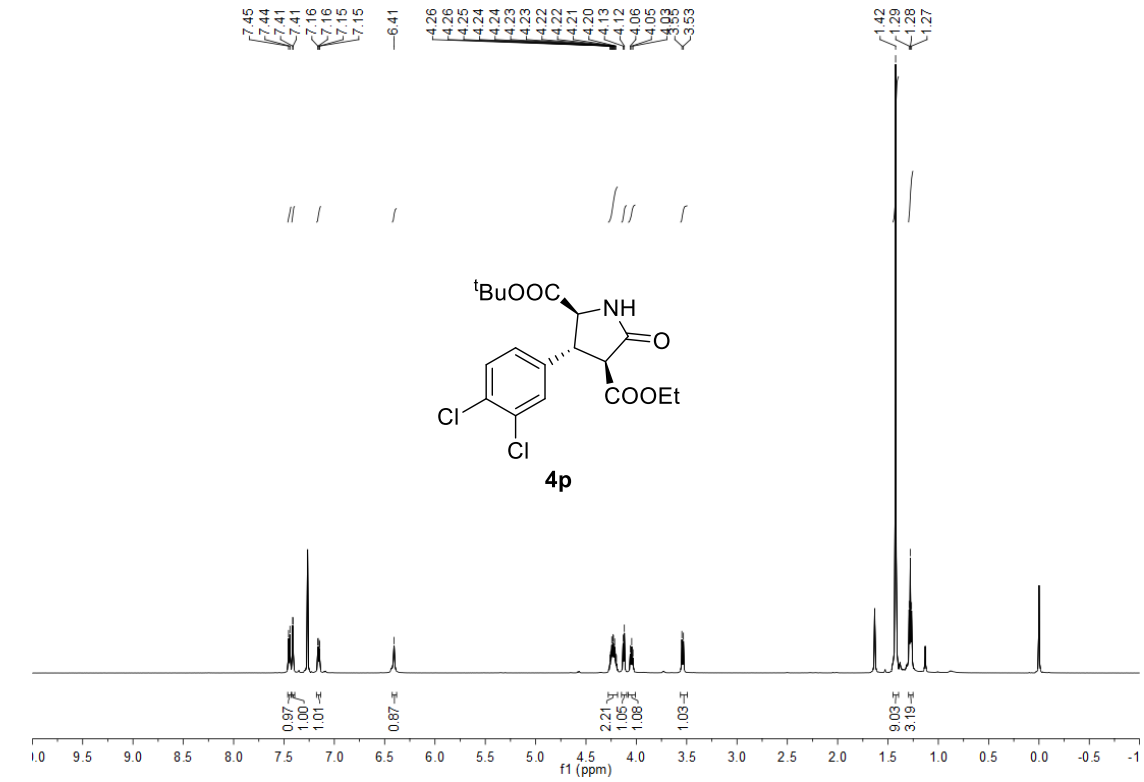
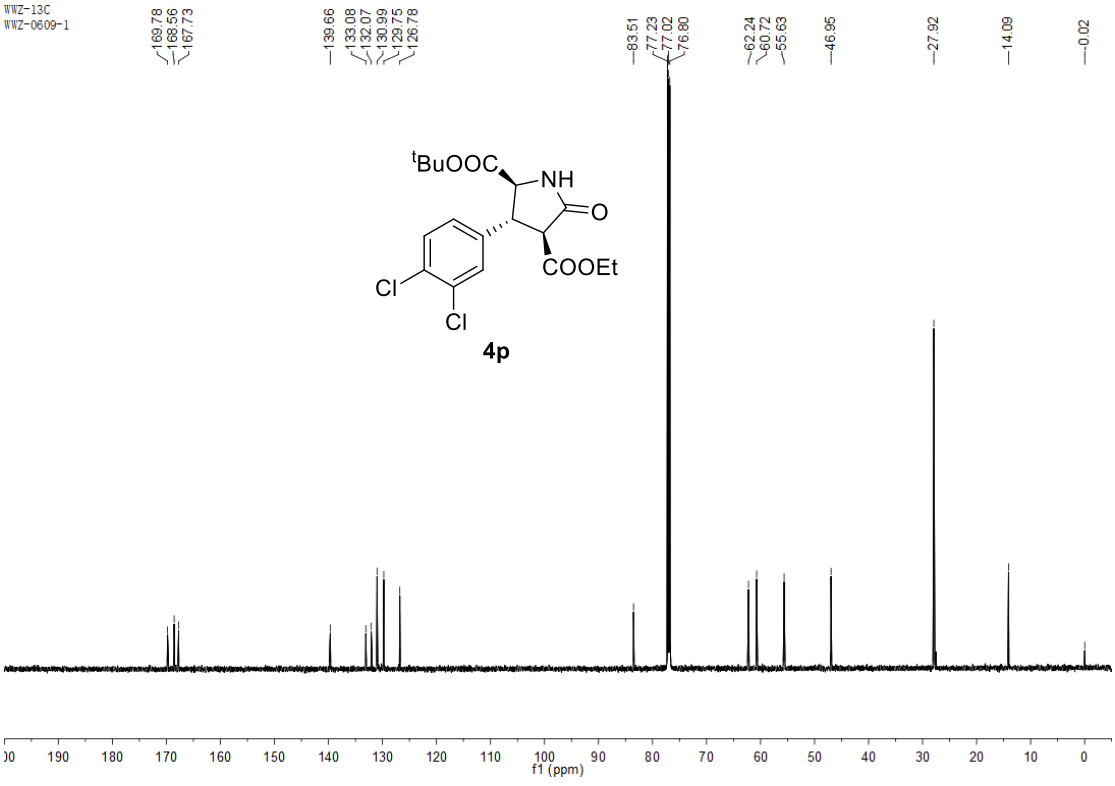
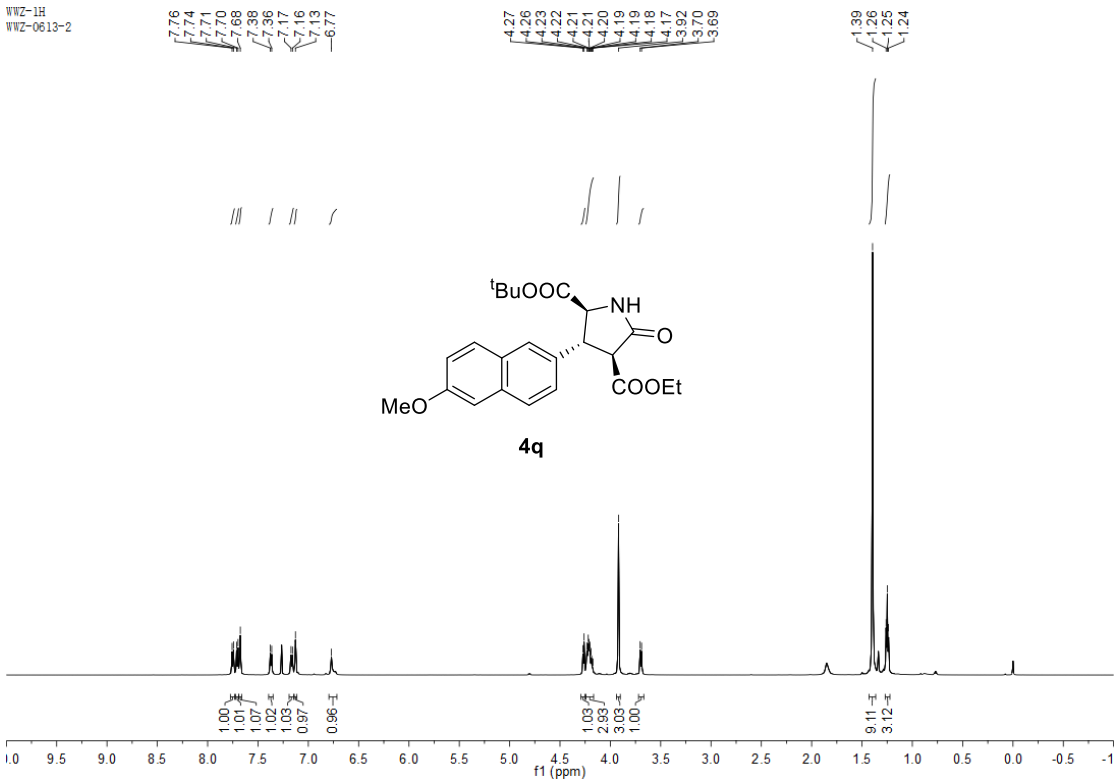
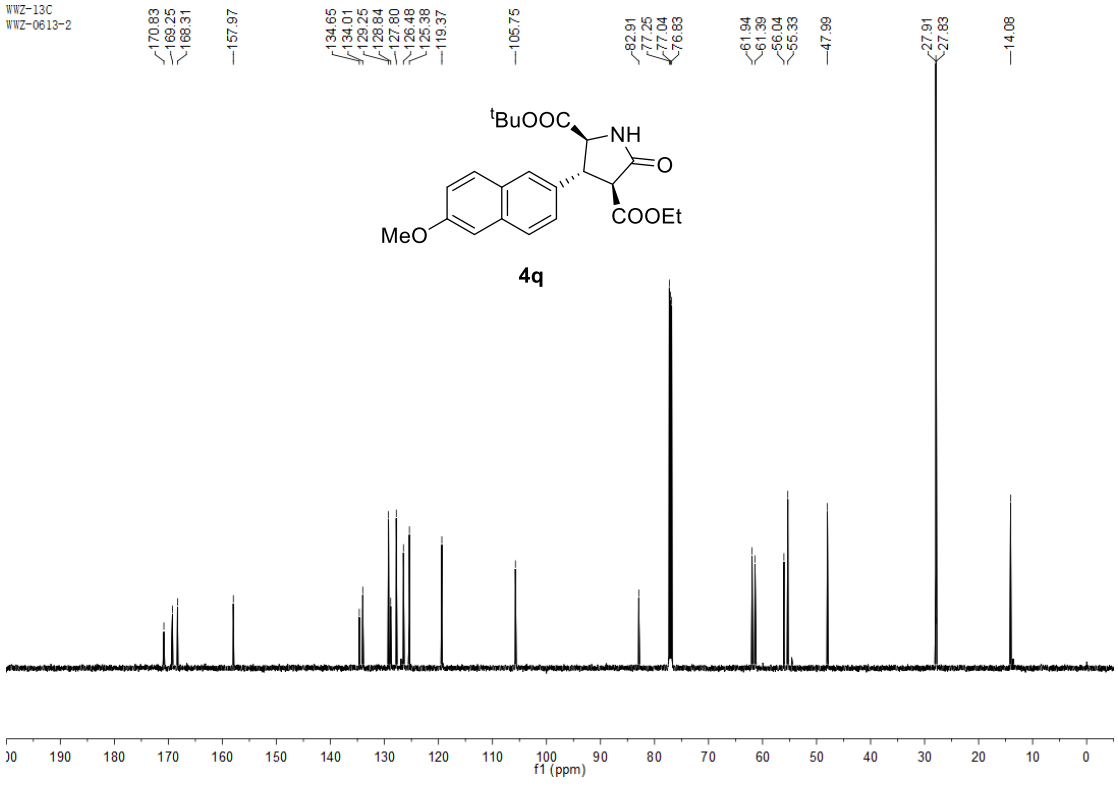
7.20
6.89
6.88
6.43
4.24
4.22
4.21
4.20
4.19
4.18
4.17
4.13
4.12
4.04
4.03
4.01
3.80
3.56
3.54
1.41
1.27
1.26
1.25
tBuOOC
NH
O
COOEt
MeO
4o
2.01
1.98
0.99
2.03
1.00
0.99
3.03
0.98
9.00
3.08
f1 (ppm)


WWZ-13C
WWZ-0510-1
170.65
169.17
168.25
159.14
131.60
128.50
114.34
82.88
77.24
77.03
76.82
61.91
61.41
56.16
55.31
47.37
27.91
14.10
tBuOOC
NH
O
COOEt
MeO
4o
f1 (ppm)


7.45
7.44
7.41
7.41
7.16
7.16
7.15
7.15
6.41
4.26
4.26
4.25
4.24
4.24
4.23
4.23
4.22
4.22
4.21
4.20
4.13
4.12
4.06
4.05
4.03
3.95
3.53
1.42
1.29
1.28
1.27
tBuOOC
NH
O
COOEt
Cl
Cl
4p
0.97
1.00
1.01
0.87
2.21
1.05
1.08
1.03
9.03
3.19
f1 (ppm)


WWZ-13C
WWZ-0609-1
169.78
168.56
167.73
139.66
133.08
132.07
130.99
129.75
126.78
83.51
77.23
77.02
76.80
62.24
60.72
55.63
46.95
27.92
14.09
0.02
tBuOOC
NH
O
COOEt
Cl
Cl
4p
f1 (ppm)


WWZ-1H
WWZ-0613-2
7.76
7.74
7.71
7.70
7.68
7.38
7.36
7.17
7.16
7.13
6.77
4.27
4.26
4.23
4.22
4.21
4.21
4.20
4.19
4.19
4.18
4.17
3.92
3.70
3.69
1.39
1.26
1.25
1.24
tBuOOC
NH
O
COOEt
MeO
4q
1.00
1.01
1.07
1.02
1.03
0.97
0.96
1.03
2.93
3.03
1.00
9.11
3.12
f1 (ppm)


WWZ-13C
WWZ-0613-2
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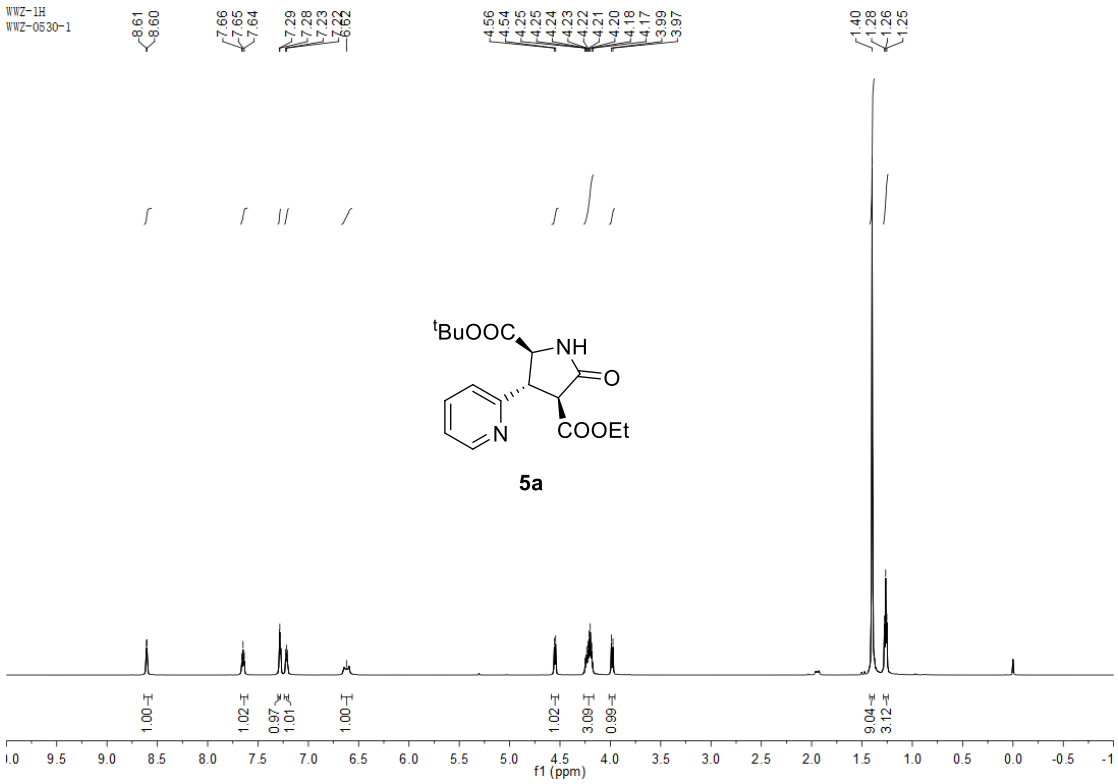
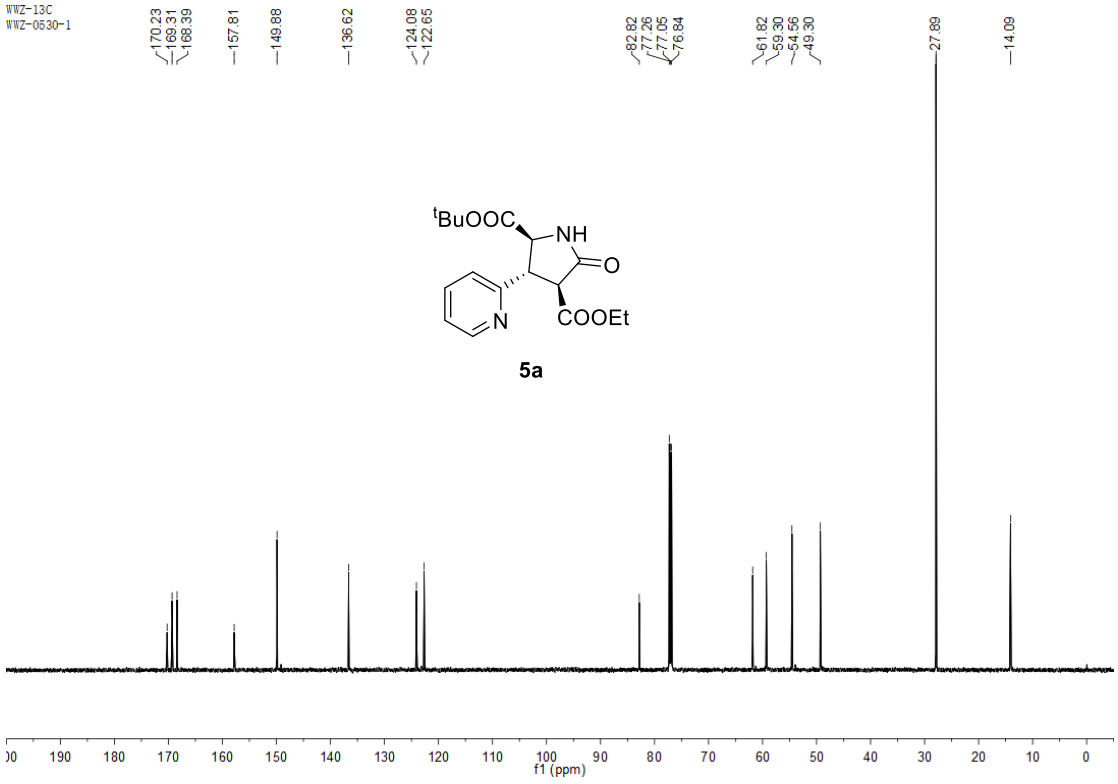
169.25
168.31
157.97
134.65
134.01
129.25
128.84
127.80
126.48
125.38
119.37
105.75
82.91
77.25
77.04
76.83
61.94
61.39
56.04
55.33
47.99
27.91
27.83
14.08
tBuOOC
NH
O
COOEt
MeO
4q
f1 (ppm)


WWZ-1H
WWZ-0530-1
8.61
8.60
7.66
7.65
7.64
7.29
7.28
7.23
7.22
6.62
4.56
4.54
4.25
4.24
4.23
4.22
4.21
4.20
4.18
4.17
3.99
3.97
1.40
1.28
1.26
1.25
tBuOOC
NH
O
N
COOEt
5a
1.00
1.02
0.97
1.01
1.00
1.02
3.09
0.99
9.04
3.12
f1 (ppm)


WWZ-13C
WWZ-0530-1
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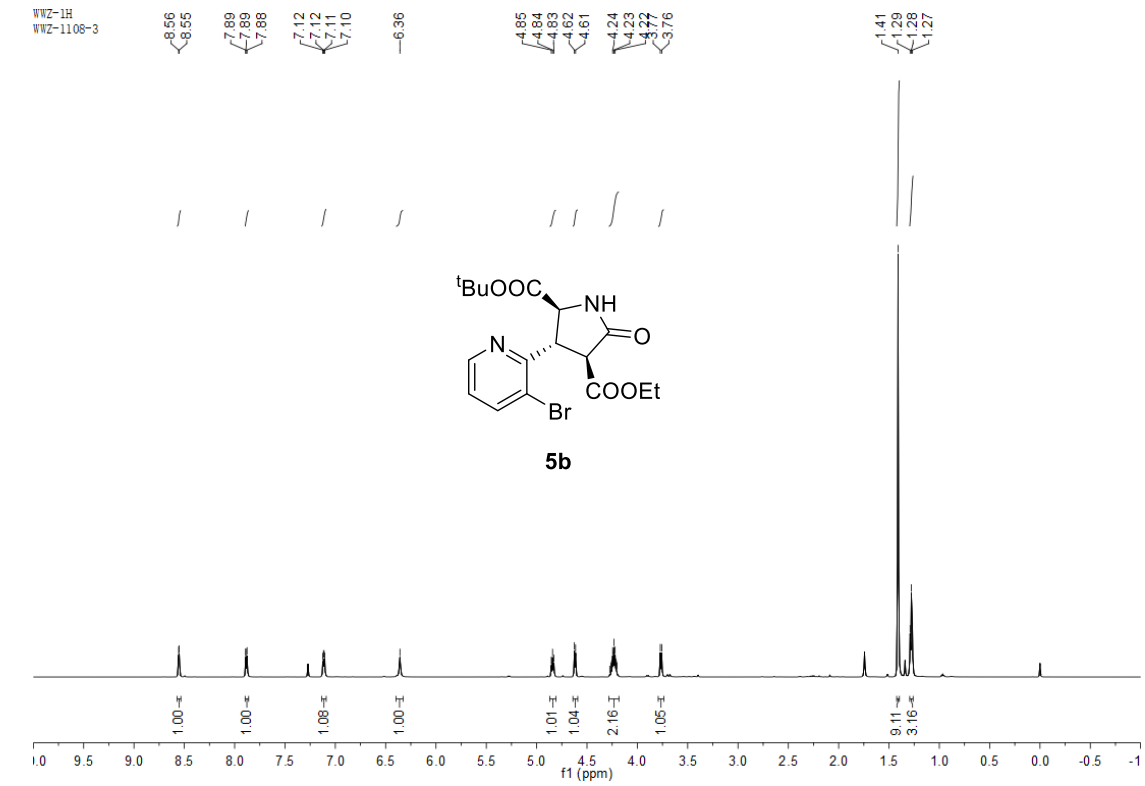
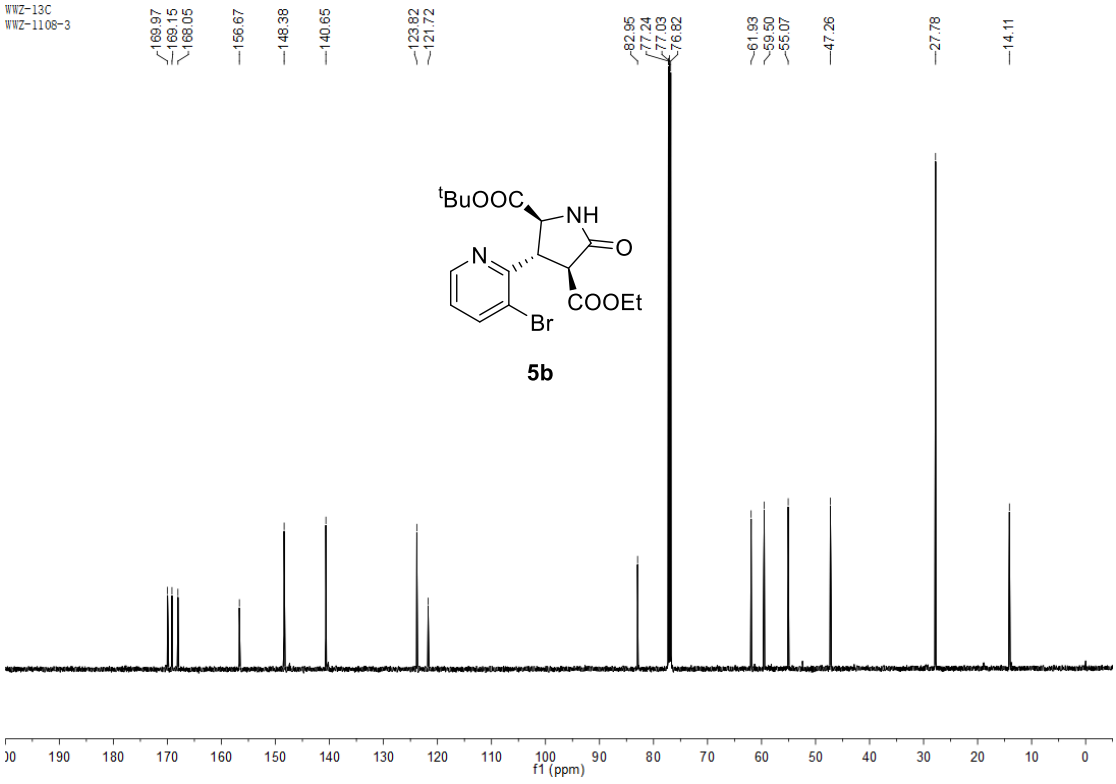
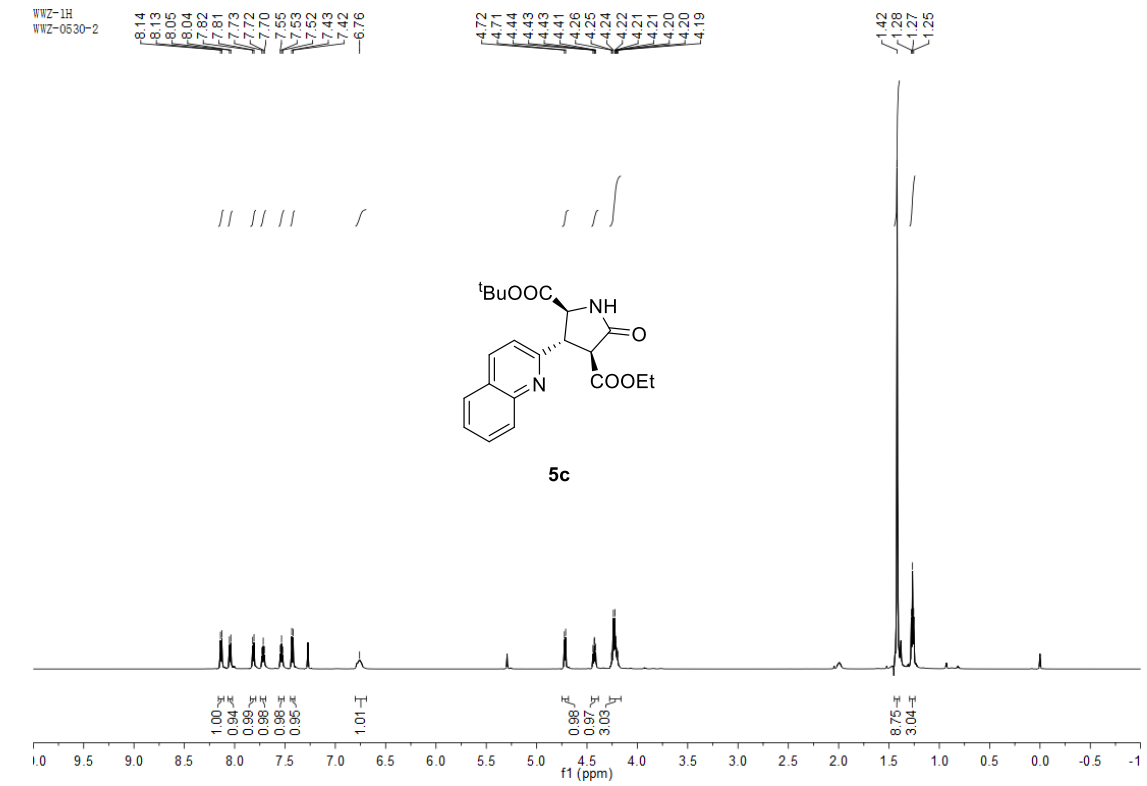
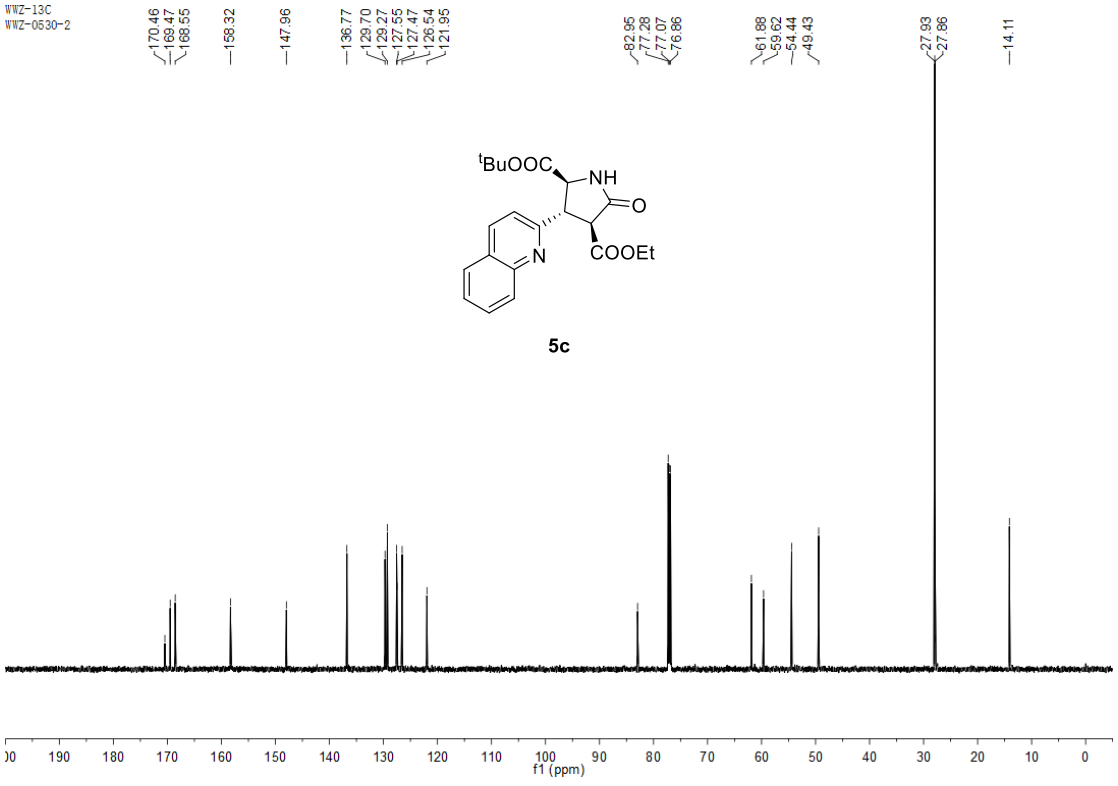
169.31
168.39
157.81
149.88
136.62
124.08
122.65
82.82
77.26
77.05
76.84
61.82
59.30
54.56
49.30
27.89
14.09
tBuOOC
NH
O
N
COOEt
5a
f1 (ppm)


WWZ-1H
WWZ-1108-3
8.56
8.55
7.89
7.89
7.88
7.12
7.12
7.11
7.10
6.36
4.85
4.84
4.83
4.62
4.61
4.24
4.23
4.22
3.77
3.76
1.41
1.29
1.28
1.27
tBuOOC
NH
O
N
COOEt
Br
5b
1.00
1.00
1.08
1.00
1.01
1.04
2.16
1.05
9.11
3.16
f1 (ppm)


WWZ-13C
WWZ-1108-3
169.97
169.15
168.05
156.67
148.38
140.65
123.82
121.72
82.95
77.24
77.03
76.82
61.93
59.50
55.07
47.26
27.78
14.11
tBuOOC
NH
O
N
COOEt
Br
5b
f1 (ppm)


WWZ-1H
WWZ-0530-2
8.14
8.13
8.05
8.04
7.82
7.81
7.73
7.72
7.70
7.55
7.53
7.52
7.43
7.42
6.76
4.72
4.71
4.44
4.43
4.43
4.41
4.26
4.25
4.24
4.22
4.21
4.21
4.20
4.20
4.19
1.42
1.28
1.27
1.25
tBuOOC
NH
O
N
COOEt
5c
1.00
0.94
0.99
0.98
0.98
0.95
1.01
0.98
0.97
3.03
8.75
3.04
f1 (ppm)


WWZ-13C
WWZ-0530-2
170.46
169.47
168.55
158.32
147.96
136.77
129.70
129.27
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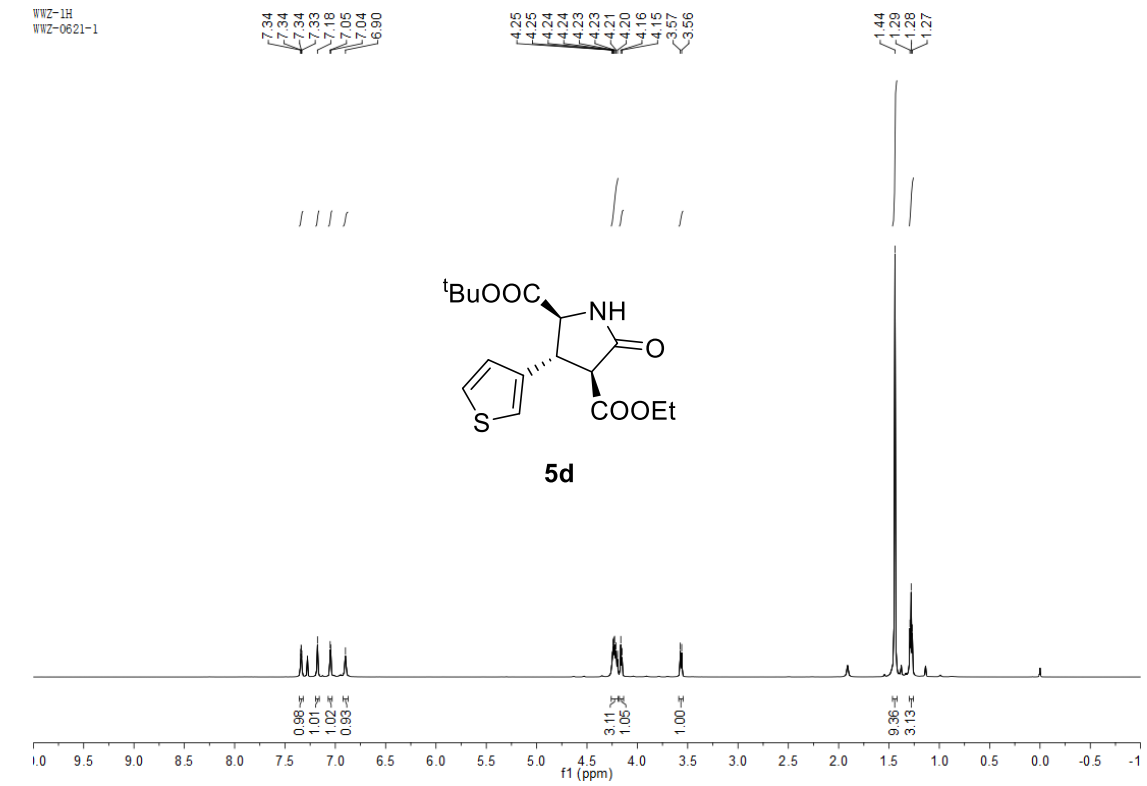
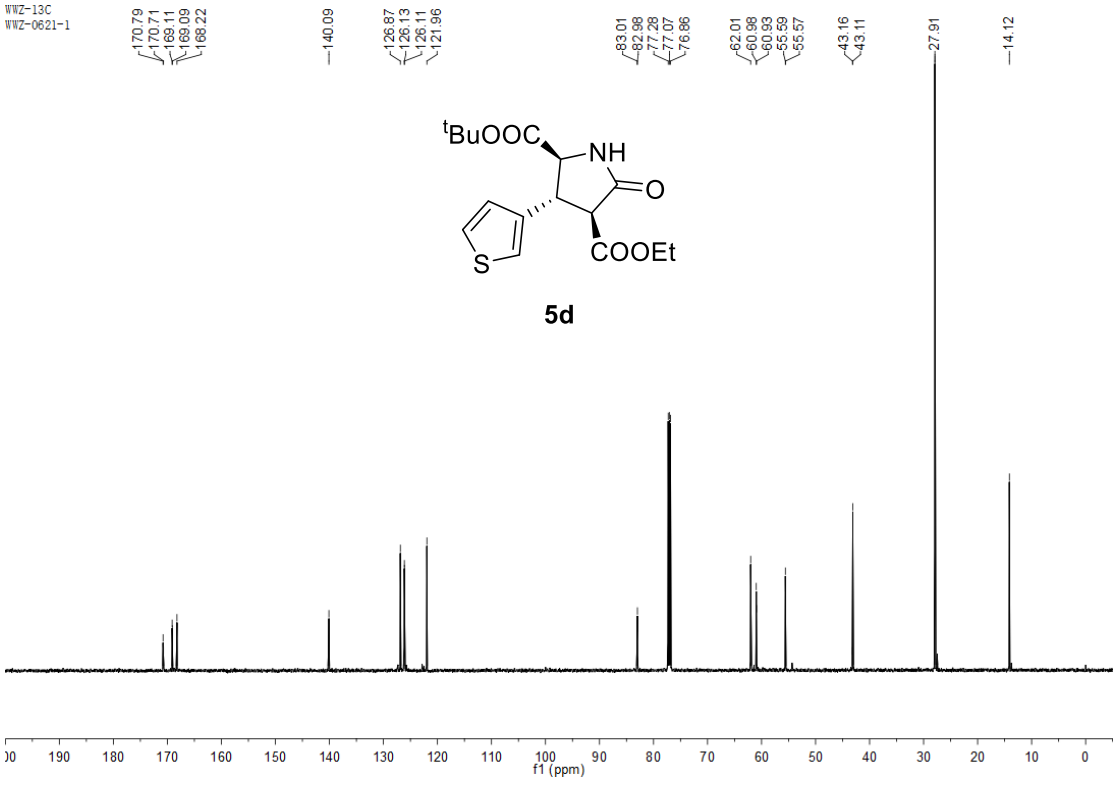
126.54
121.95
82.95
77.28
77.07
76.86
61.88
59.62
54.44
49.43
27.93
27.86
14.11
tBuOOC
NH
O
N
COOEt
5c
f1 (ppm)


WWZ-1H
WWZ-0621-1
7.34
7.34
7.34
7.33
7.18
7.05
7.04
6.90
4.25
4.25
4.24
4.24
4.23
4.21
4.20
4.16
4.15
3.57
3.56
1.44
1.29
1.28
1.27
tBuOOC
NH
O
S
COOEt
5d
0.98
1.01
1.02
0.93
3.11
1.05
1.00
9.36
3.13
f1 (ppm)


WWZ-13C
WWZ-0621-1
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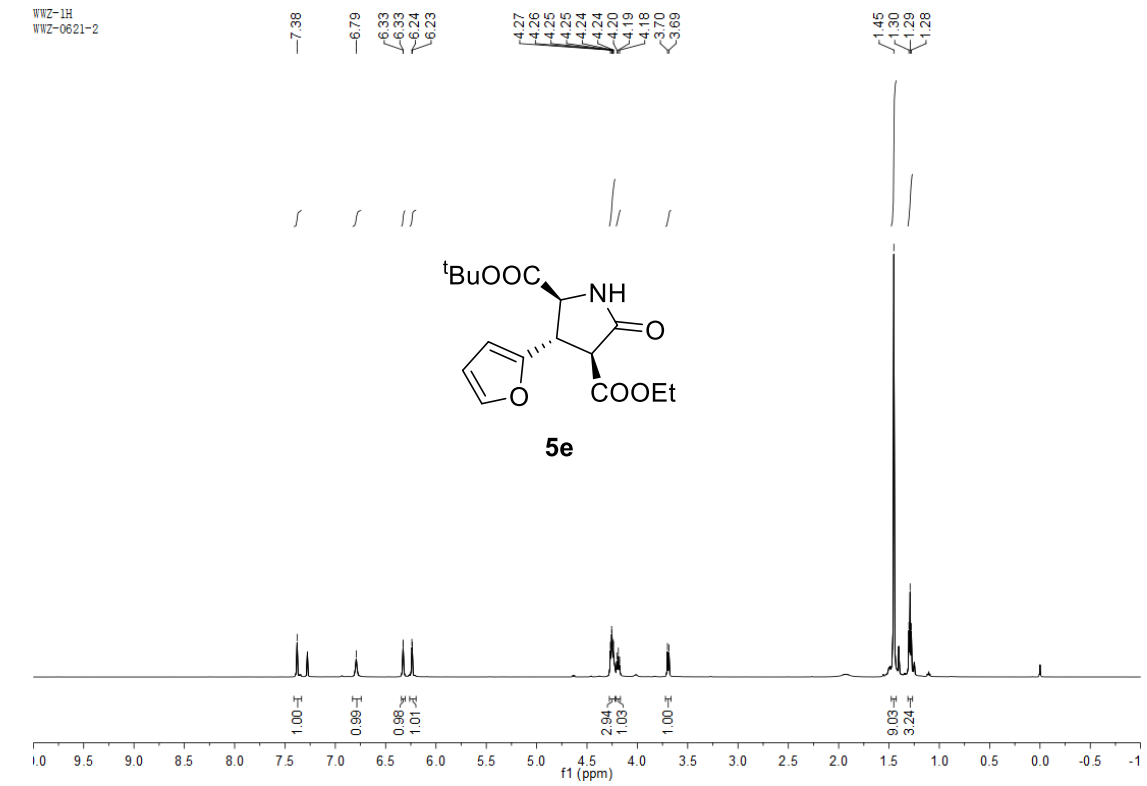
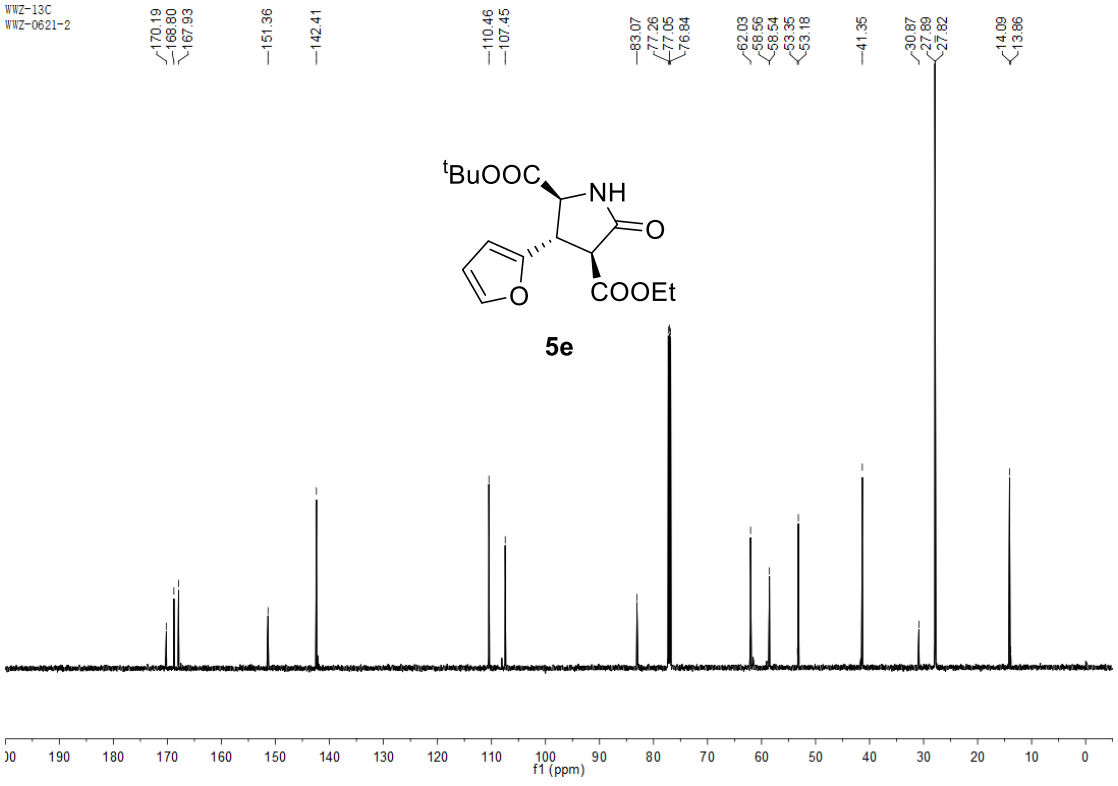
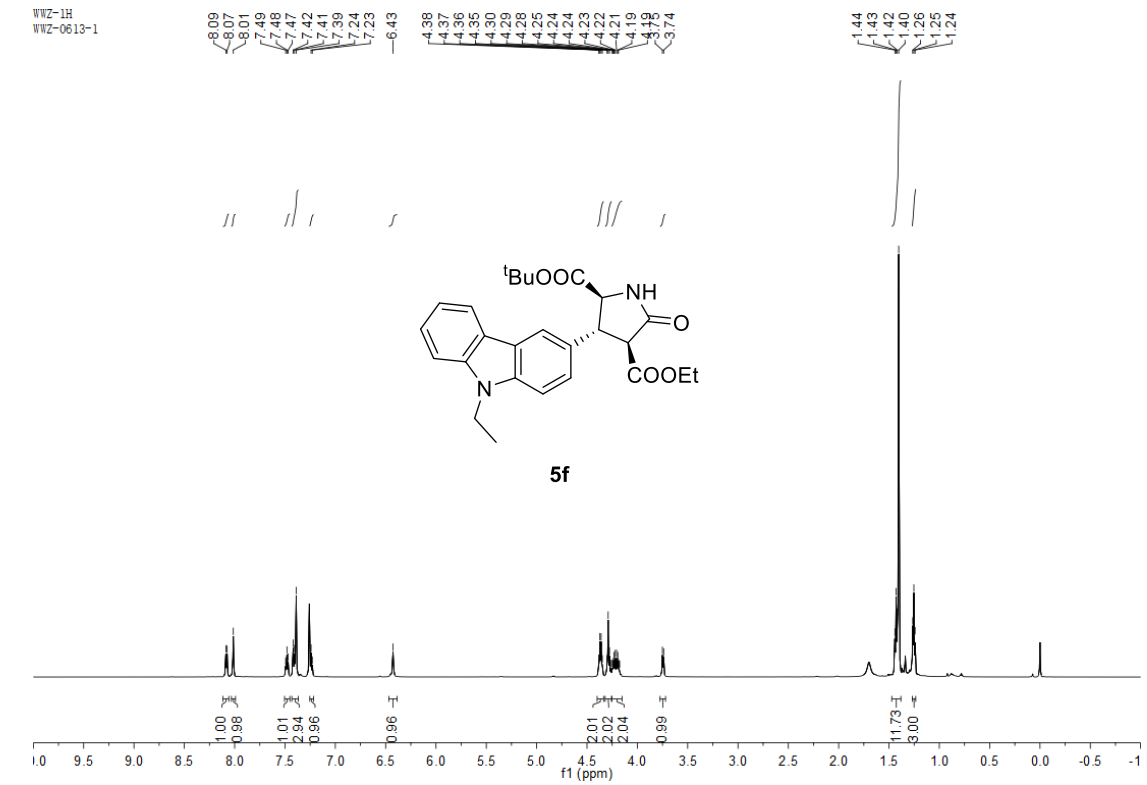
170.71
169.11
169.09
168.22
140.09
126.87
126.13
126.11
121.96
83.01
82.98
77.28
77.07
76.86
62.01
60.98
60.93
55.59
55.57
43.16
43.11
27.91
14.12
tBuOOC
NH
O
S
COOEt
5d
f1 (ppm)


WWZ-1H
WWZ-0621-2
7.38
6.79
6.33
6.33
6.24
6.23
4.27
4.26
4.25
4.25
4.24
4.24
4.20
4.19
4.18
3.70
3.69
1.45
1.30
1.29
1.28
tBuOOC
NH
O
O
COOEt
5e
1.00
0.99
0.98
1.01
2.94
1.03
1.00
9.03
3.24
f1 (ppm)


WWZ-13C
WWZ-0621-2
170.19
169.80
167.93
151.36
142.41
110.46
107.45
83.07
77.26
77.05
76.84
62.03
58.56
58.54
53.35
53.18
41.35
30.87
27.89
27.82
14.09
13.86
tBuOOC
NH
O
O
COOEt
5e
f1 (ppm)


WWZ-1H
WWZ-0613-1
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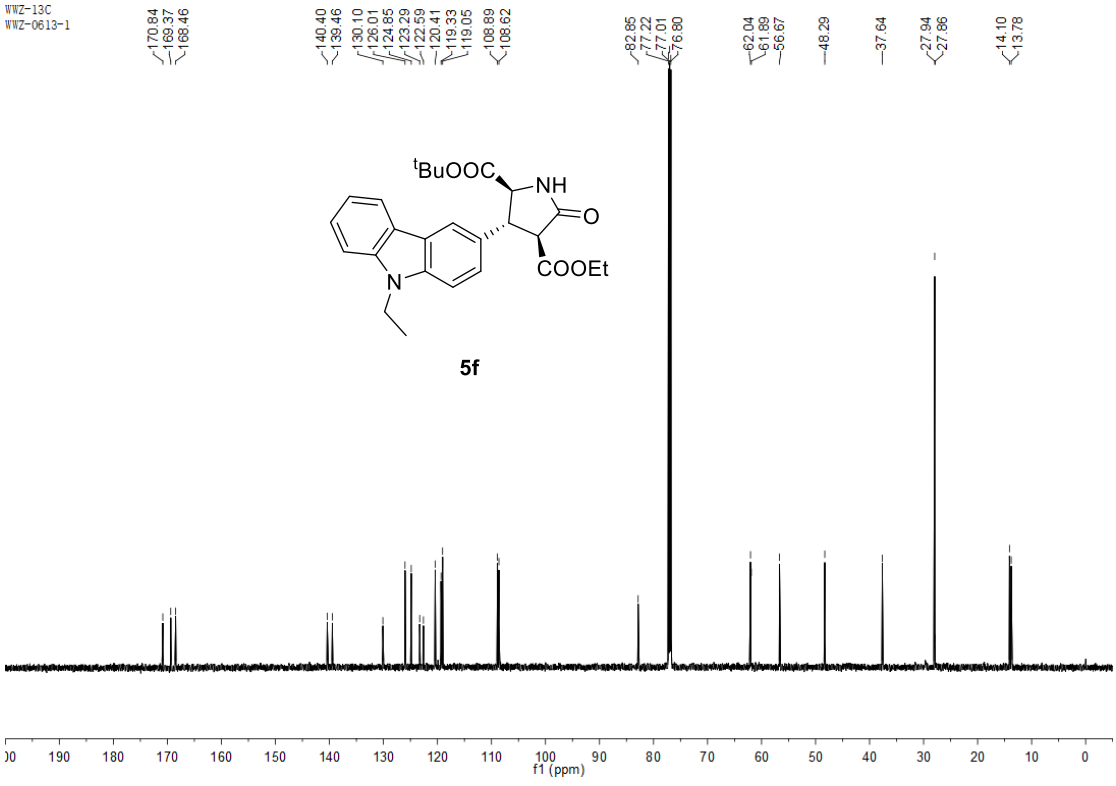
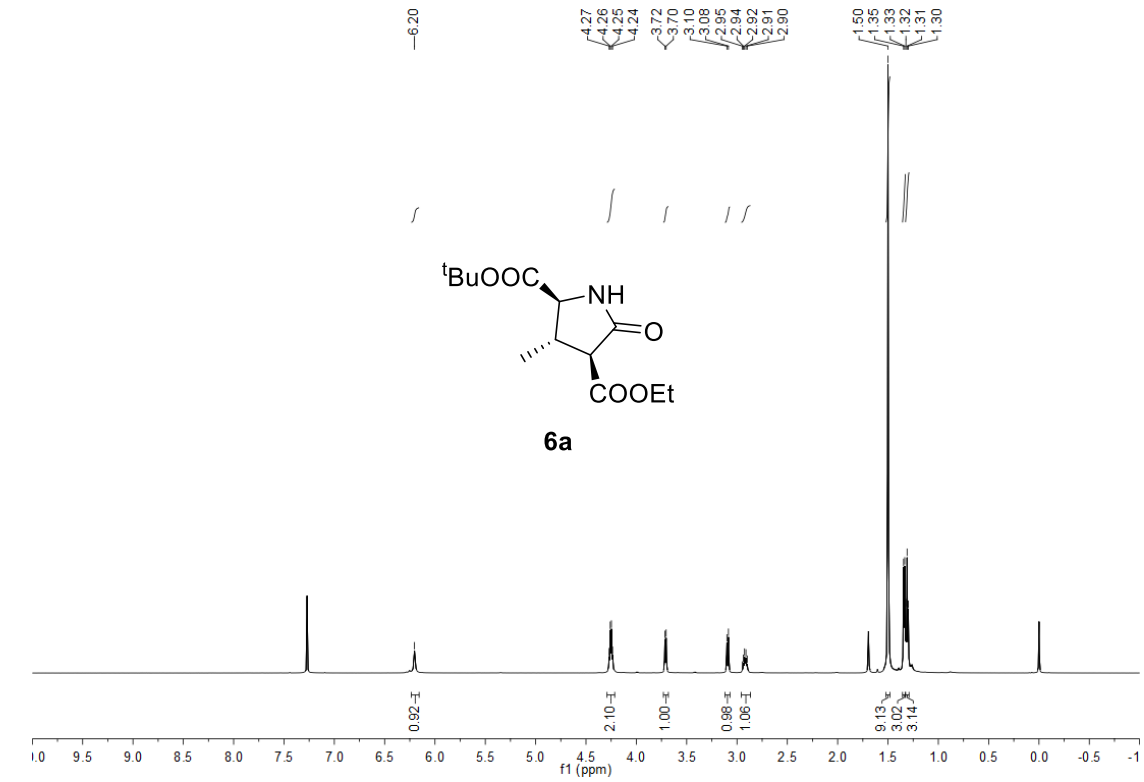
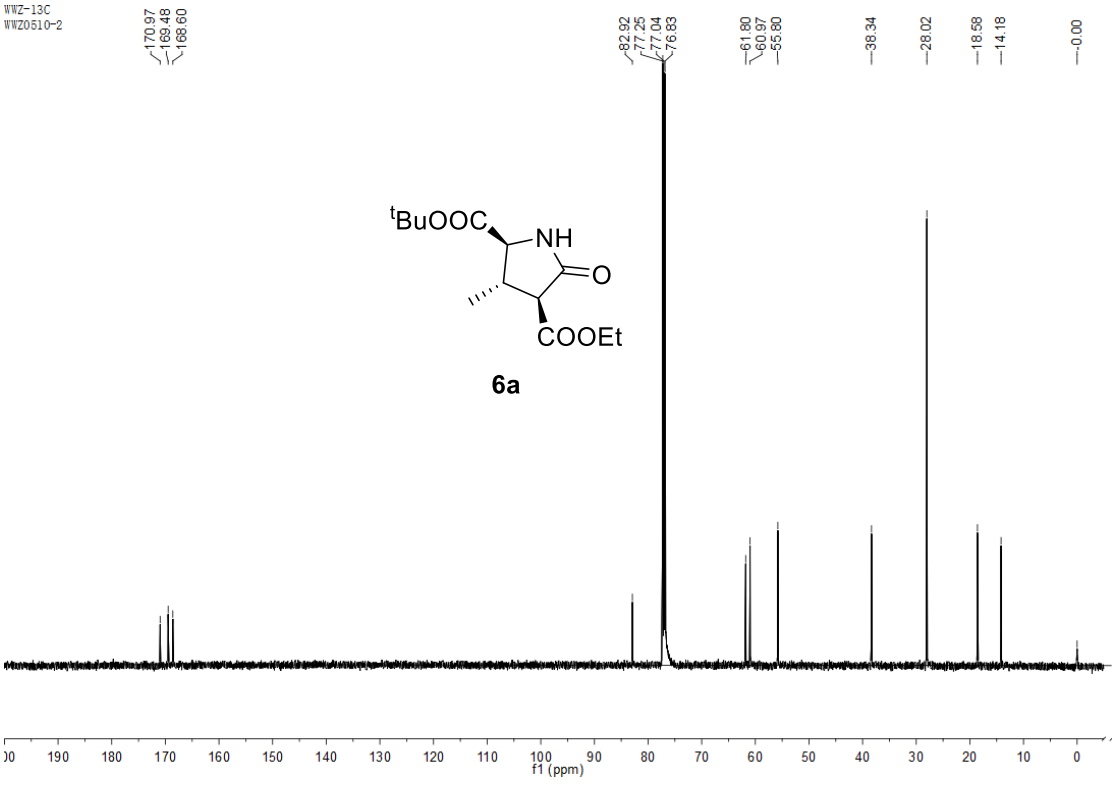
8.07
8.01
7.49
7.48
7.47
7.42
7.41
7.39
7.24
7.23
6.43
4.38
4.37
4.36
4.35
4.30
4.29
4.28
4.25
4.24
4.24
4.23
4.22
4.21
4.19
4.15
3.74
1.44
1.43
1.42
1.40
1.26
1.25
1.24
tBuOOC
NH
O
COOEt
N
5f
1.00
0.98
1.01
2.94
0.96
0.96
2.01
2.02
2.04
0.99
11.73
3.00
f1 (ppm)


WWZ-13C
WWZ-0613-1
170.84
169.37
168.46
140.40
139.46
130.10
126.01
124.85
123.29
122.59
120.41
119.33
119.05
108.89
106.62
82.85
77.22
77.01
76.80
62.04
61.89
56.67
48.29
37.64
27.94
27.86
14.10
13.78
tBuOOC
NH
O
COOEt
N
5f
f1 (ppm)


6.20
4.27
4.26
4.25
4.24
3.72
3.70
3.10
3.08
2.95
2.94
2.92
2.91
2.90
1.50
1.35
1.33
1.32
1.31
1.30
tBuOOC
NH
O
COOEt
6a
0.92
2.10
1.00
0.98
1.06
9.13
3.02
3.14
f1 (ppm)


WWZ-13C
WWZ0510-2
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168.60
82.92
77.25
77.04
76.83
61.80
60.97
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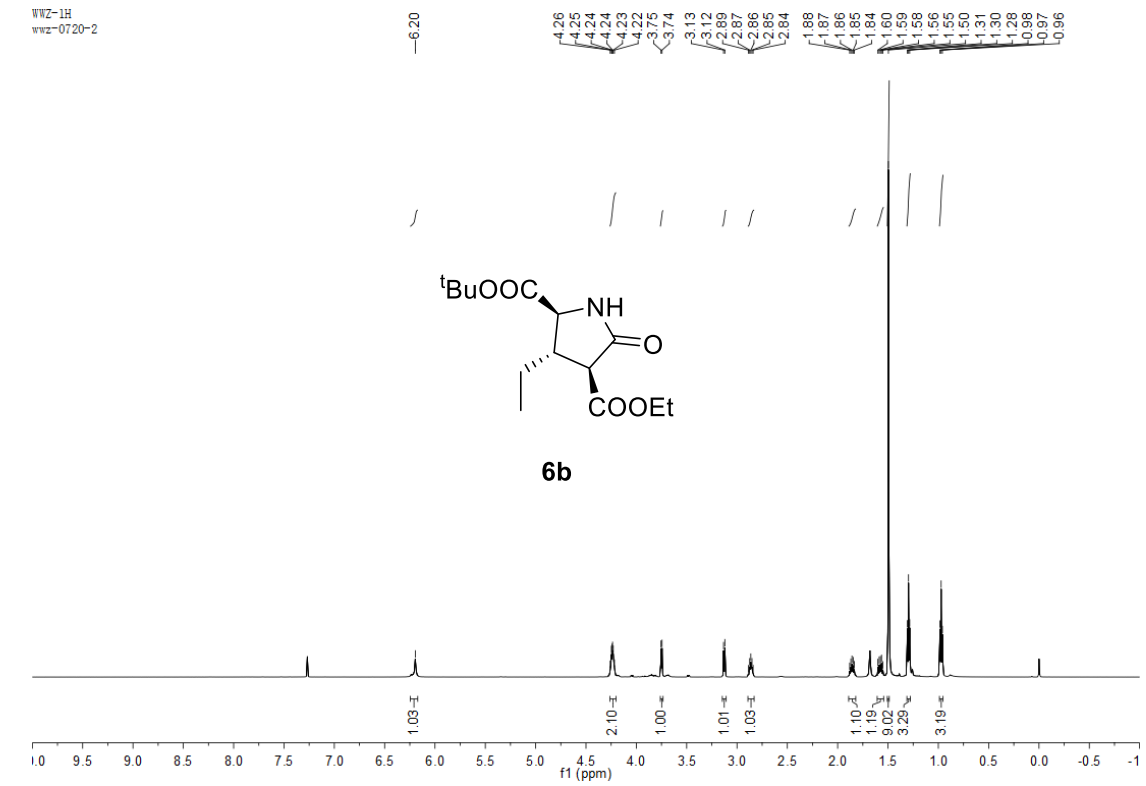
38.34
28.02
18.58
14.18
0.00
tBuOOC
NH
O
COOEt
6a
f1 (ppm)


WWZ-1H
wwz-0720-2
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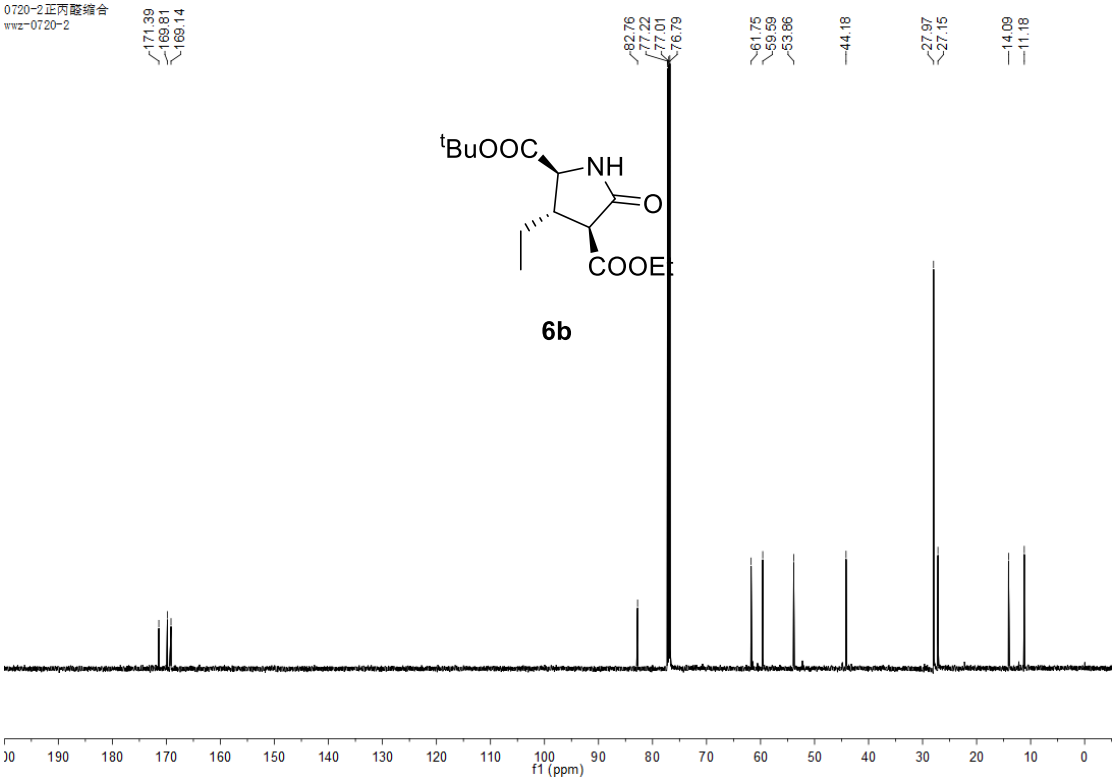
4.26
4.25
4.24
4.24
4.23
4.22
3.75
3.74
3.13
3.12
2.89
2.87
2.86
2.85
2.84
1.88
1.87
1.86
1.85
1.84
1.60
1.59
1.58
1.56
1.55
1.50
1.31
1.30
1.28
0.98
0.97
0.96
tBuOOC
NH
O
COOEt
6b
1.03
2.10
1.00
1.01
1.03
1.10
1.19
9.02
3.29
3.19
f1 (ppm)


0720-2正丙醛缩合
wwz-0720-2
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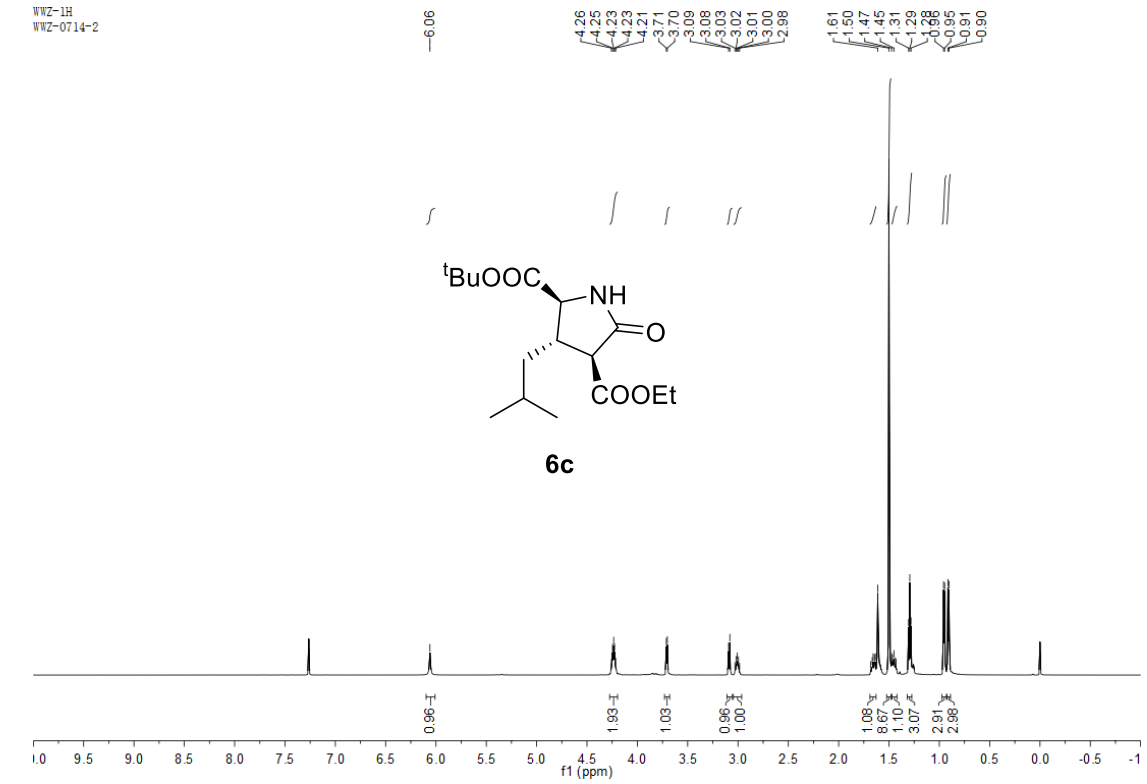
169.81
169.14
82.76
77.22
77.01
76.79
61.75
59.59
53.86
44.18
27.97
27.15
14.09
11.18
tBuOOC
NH
O
COOEt
6b
f1 (ppm)


WWZ-1H
WWZ-0714-2
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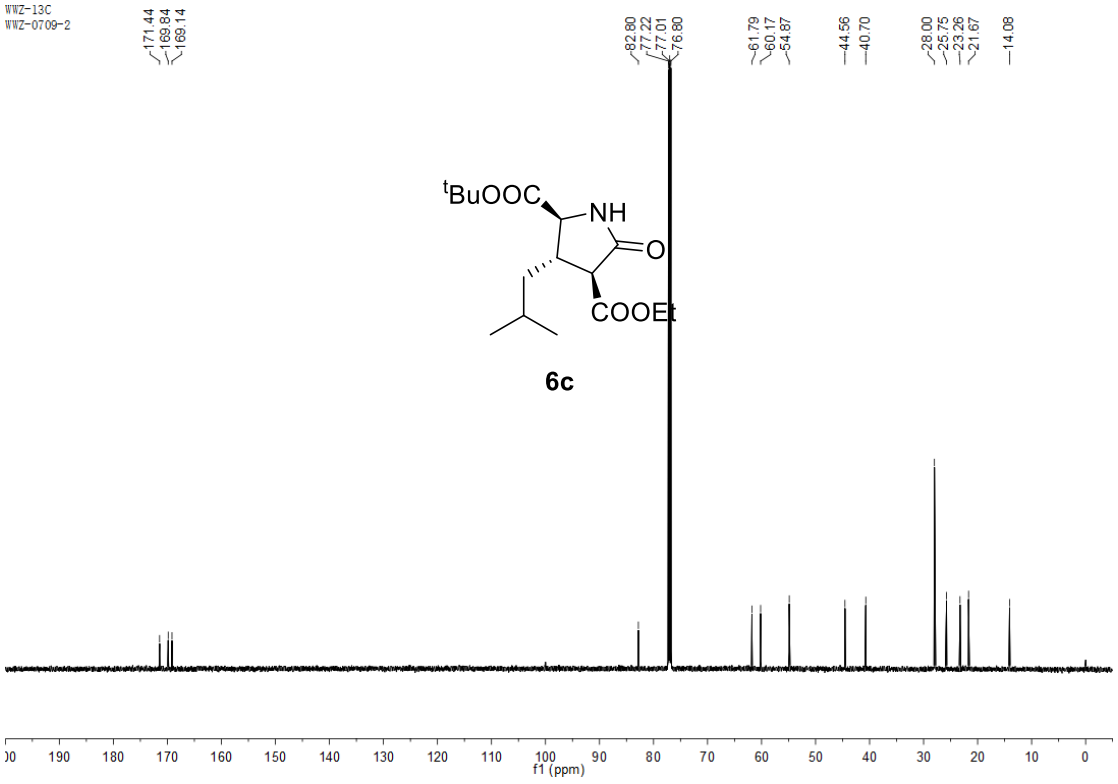
4.26
4.25
4.23
4.23
4.21
3.71
3.70
3.09
3.08
3.03
3.02
3.01
3.00
2.98
1.61
1.50
1.47
1.45
1.31
1.29
1.28
0.98
0.95
0.91
0.90
tBuOOC
NH
O
COOEt
6c
0.96
1.93
1.03
0.96
1.00
1.08
8.67
1.10
3.07
2.91
2.98
f1 (ppm)


WWZ-13C
WWZ-0709-2
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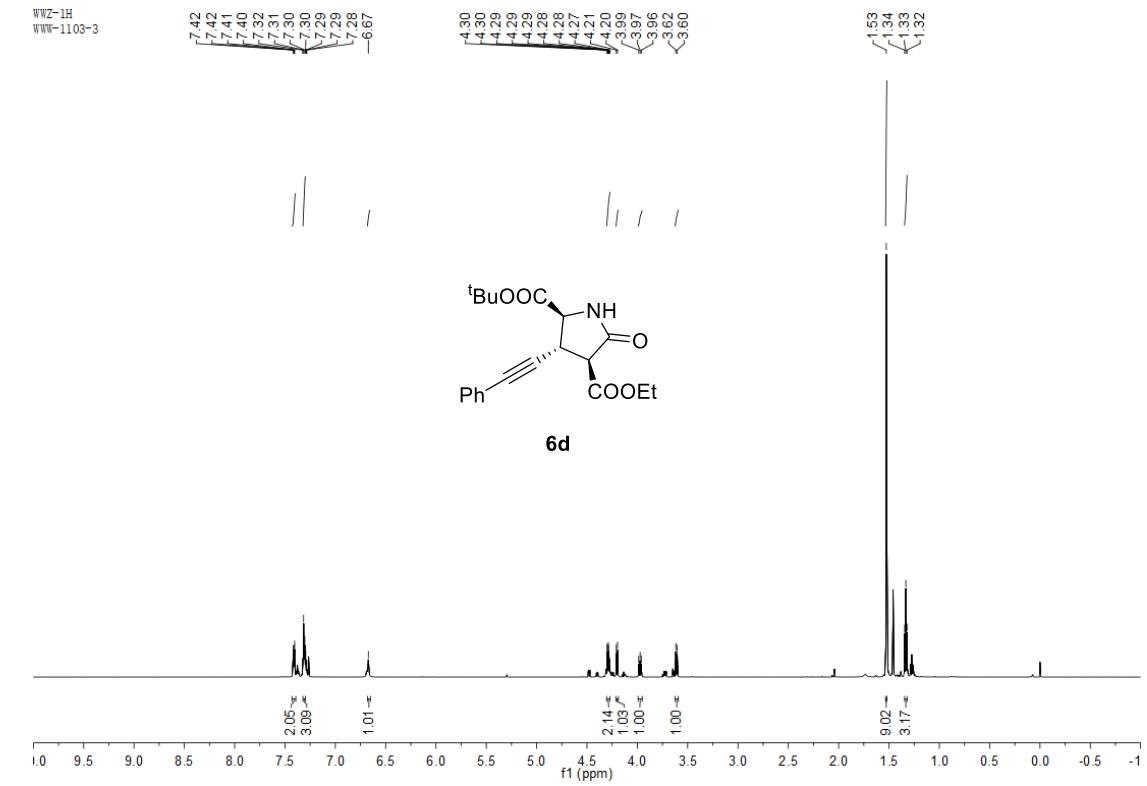
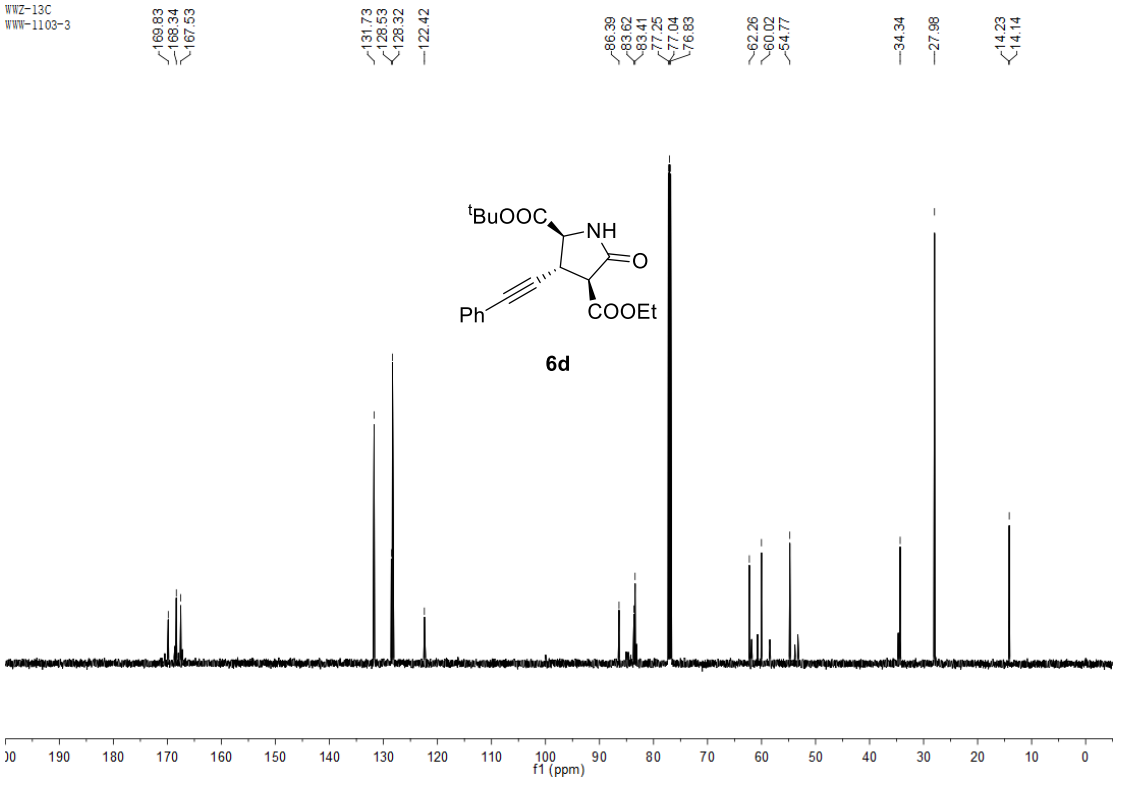
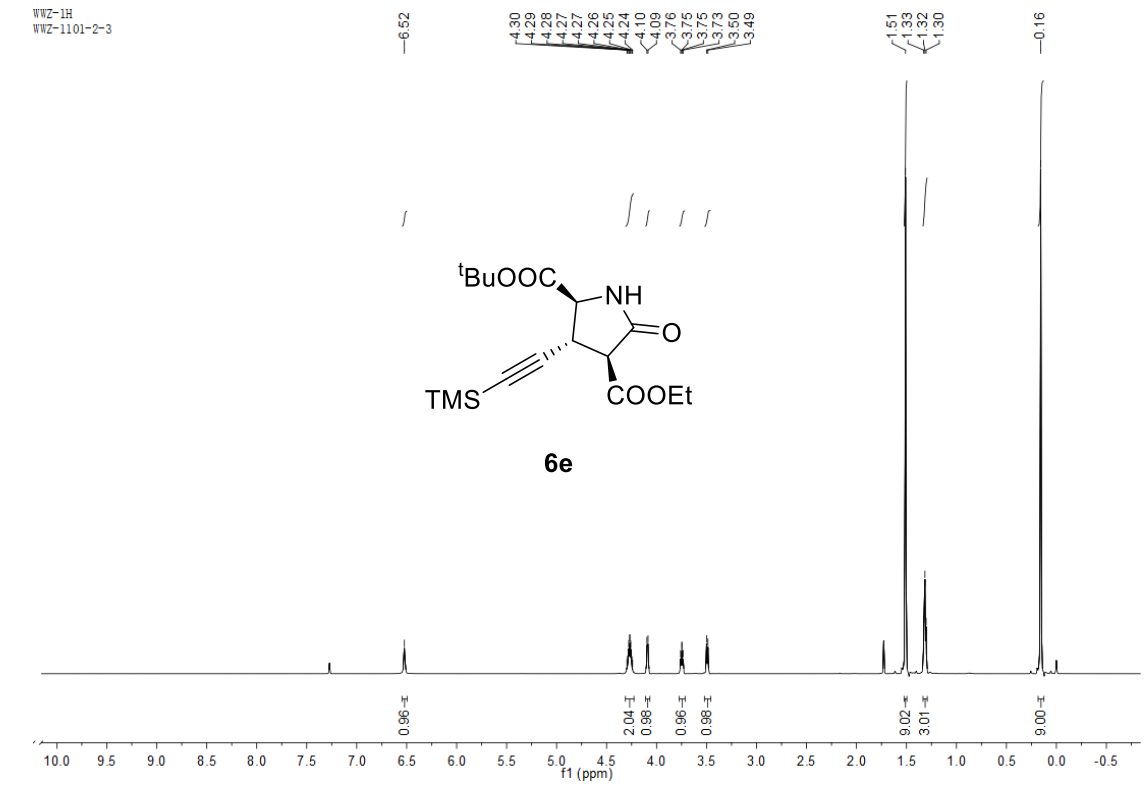
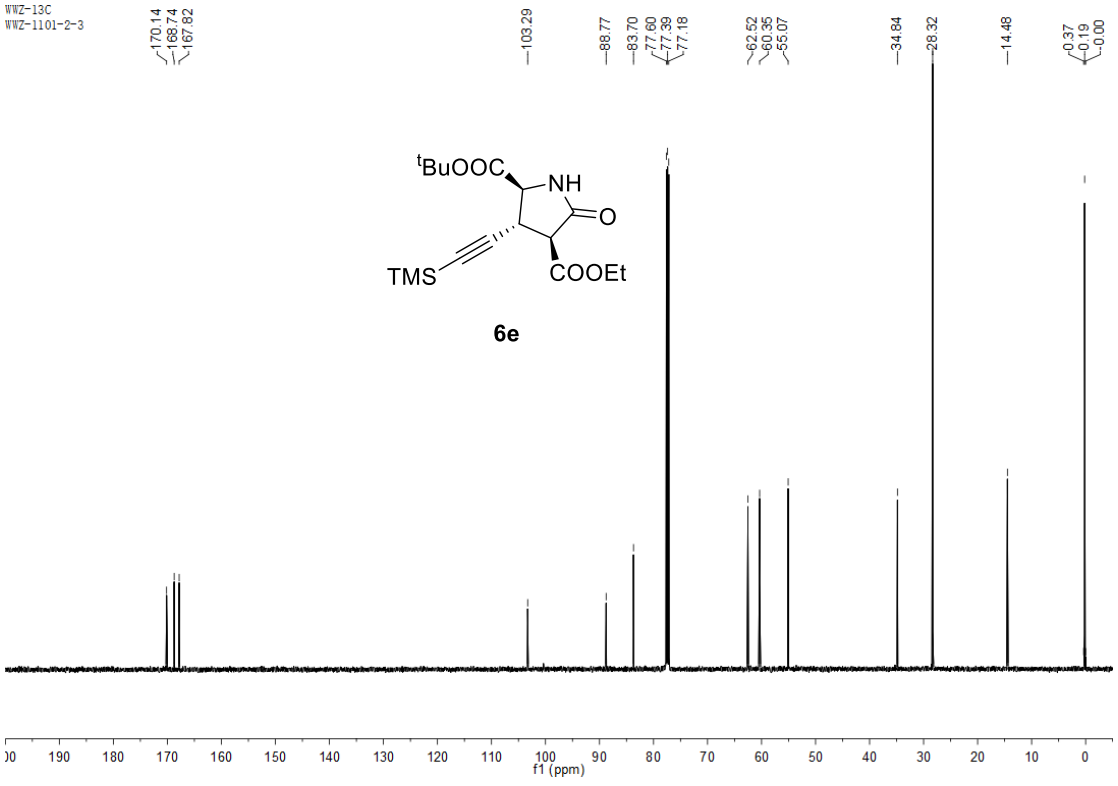
169.14
82.80
77.22
77.01
76.80
61.79
60.17
54.87
44.56
40.70
28.00
25.75
23.26
21.67
14.08
tBuOOC
NH
O
COOEt
6c
f1 (ppm)


WWZ-1H
WWW-1103-3
7.42
7.42
7.41
7.40
7.32
7.31
7.30
7.30
7.29
7.29
7.28
6.67
4.30
4.30
4.29
4.29
4.29
4.28
4.28
4.27
4.21
4.20
3.99
3.97
3.96
3.62
3.60
1.53
1.34
1.33
1.32
tBuOOC
NH
O
Ph
COOEt
6d
2.05
3.09
1.01
2.14
1.03
1.00
1.00
9.02
3.17
f1 (ppm)


WWZ-13C
WWW-1103-3
169.83
168.34
167.53
131.73
128.53
128.32
122.42
86.39
83.62
83.41
77.25
77.04
76.83
62.26
60.02
54.77
34.34
27.98
14.23
14.14
tBuOOC
NH
O
Ph
COOEt
6d
f1 (ppm)


WWZ-1H
WWZ-1101-2-3
6.52
4.30
4.29
4.28
4.27
4.27
4.26
4.25
4.24
4.10
4.09
3.76
3.75
3.75
3.73
3.50
3.49
1.51
1.33
1.32
1.30
0.16
tBuOOC
NH
O
TMS
COOEt
6e
0.96
2.04
0.98
0.96
0.98
9.02
3.01
9.00
f1 (ppm)


WWZ-13C
WWZ-1101-2-3
170.14
168.74
167.82
103.29
88.77
83.70
77.60
77.39
77.18
62.52
60.35
55.07
34.84
28.32
14.48
0.37
0.19
0.00
tBuOOC
NH
O
TMS
COOEt
6e
f1 (ppm)