Supporting Information

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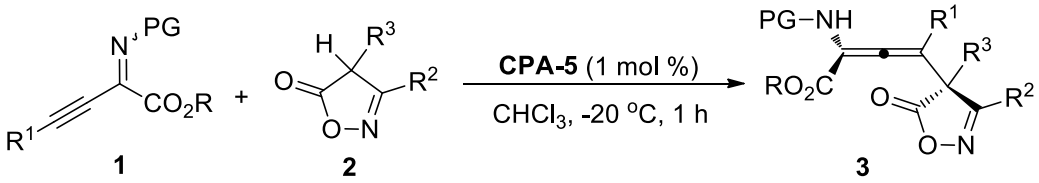
A: General Information and Starting Materials

General Information. Proton nuclear magnetic resonance (^{1}H NMR) spectra and carbon nuclear magnetic resonance (^{13}C NMR) spectra were recorded on a Bruker ACF300 spectrometer (400 MHz and 100 MHz). Chemical shifts for protons are reported in parts per million downfield from tetramethylsilane and are referenced to residual protium in the NMR solvent ($CDCl_3$: δ 7.26; $(CD_3)_2SO$: δ 2.50). Chemical shifts for carbon are reported in parts per million downfield from tetramethylsilane and are referenced to the carbon resonances of the solvent ($CDCl_3$: δ 77.16; $(CD_3)_2SO$: δ 39.50). Data are represented as follows: chemical shift, integration, multiplicity (br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants in Hertz (Hz). All high resolution mass spectra were obtained on a Finnigan/MAT 95XL-T mass spectrometer. For thin layer chromatography (TLC), Merck pre-coated TLC plates (Merck 60 F254) were used, and compounds were visualized with a UV light at 254 nm. Flash chromatography separations were performed on Merck 60 (0.040-0.063 mm) mesh silica gel.

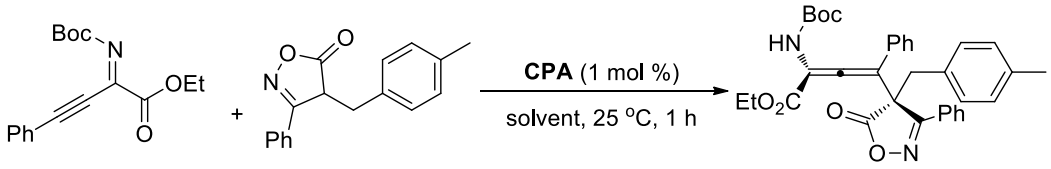
Starting Materials. All solvents, inorganic reagents were from commercial sources and used without purification unless otherwise noted. β,γ-alkynyl-α-imino esters and isoxazol-5(4*H*)-ones were prepared following the literature procedures.[1-2]

B: General Procedure

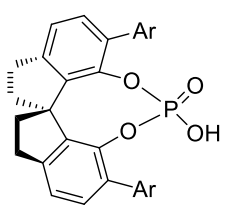


To a solution of $CHCl_3$ (0.3 mL) were added β,γ-alkynyl-α-imino esters **1** (0.05 mmol), isoxazol-5(4*H*)-ones **2** (0.06 mmol) and catalyst **CPA-5** (0.005 mmol). The reaction mixture was stirred at -20 °C for 1 h and then the solvent was removed under vacuum. The residue was purified by silica gel chromatography to yield the desired product **3**.

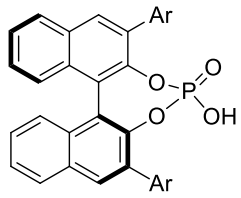
C: The Optimization of Conditions.[a]



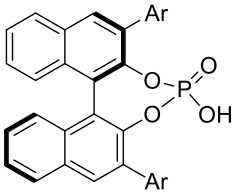
1a **2a** **3aa**



CPA-1 Ar = phenanthryl
CPA-2 Ar = 9-anthryl



CPA-3 Ar = 9-phenanthryl
CPA-4 Ar = 9-anthryl
CPA-5 Ar = 2,4,6-(*i*Pr)$_3$C$_6$H$_2$



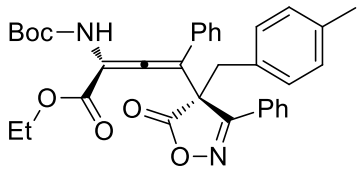
CPA-6 Ar = 2,4,6-(Cy)$_3$C$_6$H$_2$
Cy = cyclohexyl

entry	**CPA**	solvent	yield, %[b]	ee, %[c]	dr[d]
1	**CPA-1**	CH_2Cl_2	78	12	15:1
2	**CPA-2**	CH_2Cl_2	84	7	15:1
3	**CPA-3**	CH_2Cl_2	87	36	15:1
4	**CPA-4**	CH_2Cl_2	76	20	15:1
5	**CPA-5**	CH_2Cl_2	86	64	15:1
6	**CPA-6**	CH_2Cl_2	83	-55	15:1
7	**CPA-5**	$CHCl_3$	90	54	>20:1
8	**CPA-5**	CCl_4	85	56	15:1
9	**CPA-5**	DCE	93	53	>20:1
10	**CPA-5**	toluene	92	60	>20:1
11	**CPA-5**	PhCl	80	62	15:1
12	**CPA-5**	THF	91	40	>20:1
13	**CPA-5**	$PhCF_3$	91	64	>20:1
14	**CPA-5**	xylenes	86	60	15:1
15	**CPA-5**	Et_2O	87	64	15:1
16	**CPA-5**	anisole	77	60	15:1
17	**CPA-5**	MTBE	96	64	>20:1

[a] Unless noted, a mixture of **1a** (0.05 mmol), **2a** (0.06 mmol) and **CPA** (1 mol %) in the solvent (0.3 mL) was stirred at 25 °C for 1 h. [b] Isolated yield. [c] Determined by chiral-HPLC analysis. [d] Determined by NMR analysis.

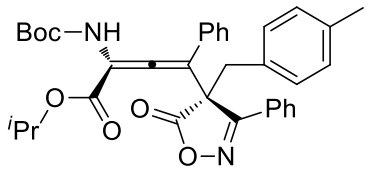
D: Characterization Data

(*R*)-ethyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3aa)



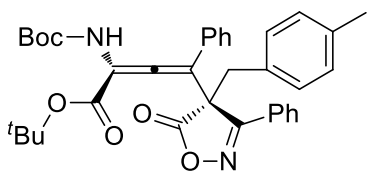
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 24:1. Yellow oil, 27.2 mg, 96% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.19 (d, *J* = 4.0 Hz, 2H), 7.52-7.49 (m, 1H), 7.47-7.44 (m, 2H), 7.29-7.28 (m, 2H), 7.21-7.20 (m, 3H), 6.92 (d, *J* = 4.0 Hz, 2H), 6.68 (d, *J* = 4.0 Hz, 2H), 6.49 (s, 1H), 4.50-4.44 (m, 1H), 4.35-4.28 (m, 1H), 3.51 (d, *J* = 12.0 Hz, 1H), 3.41 (d, *J* = 12.0 Hz, 1H), 2.25 (s, 3H), 1.59 (s, 9H), 1.47 (t, *J* = 8.0 Hz, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.9, 177.5, 165.6, 164.3, 151.6, 137.4, 133.9, 131.7, 130.1, 129.6, 129.1, 129.0, 128.9, 128.7, 128.5, 127.9, 127.7, 114.4, 106.1, 81.2, 63.0, 60.3, 41.5, 28.3, 21.1, 14.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{34}H_{34}N_2O_6Na$) requires m/z 589.2309, found m/z 589.2307. The enantiomeric excess was determined to be 64% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 6.6 min (major), 7.8 min (minor). $[\alpha]^{22}_D$ = +224.27 (c = 1.00, CH_2Cl_2).

(*R*)-isopropyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ba)



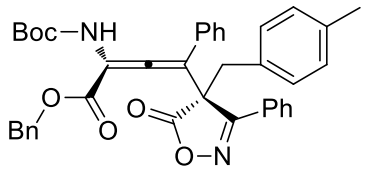
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 24:1. White oil, 15.7 mg, 54% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.24-8.22 (m, 2H), 7.53-7.46 (m, 3H), 7.30-7.27 (m, 2H), 7.22-7.20 (m, 3H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.68 (d, *J* = 8.0 Hz, 2H), 6.50 (s, 1H), 5.24-5.18 (m, 1H), 3.51 (d, *J* = 16.0 Hz, 1H), 3.40 (d, *J* = 16.0 Hz, 1H), 2.25 (s, 3H), 1.59 (s, 9H), 1.52 (d, *J* = 8.0 Hz, 3H), 1.39 (d, *J* = 8.0 Hz, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.8, 177.5, 165.6, 163.8, 151.6, 137.4, 134.0, 131.8, 130.2, 129.6, 129.1, 129.0, 128.7, 128.6, 128.0, 127.9, 127.8, 114.2, 106.2, 81.2, 71.1, 60.5, 41.4, 28.4, 21.9, 21.7, 21.2. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{35}H_{36}N_2O_6Na$) requires m/z 603.2466, found m/z 603.2464. The enantiomeric excess was determined to be 71% by HPLC. [ID column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 5.7 min (minor), 6.5 min (major). $[\alpha]^{22}_D$ = +146.9 (c = 1.00, CH_2Cl_2).

(*R*)-*tert*-butyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ca)



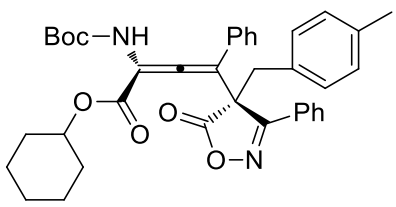
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 24:1. White oil, 9.1 mg, 31% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.24-8.22 (m, 2H), 7.52-7.45 (m, 3H), 7.30-7.28 (m, 2H), 7.22-7.20 (m, 3H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.68 (d, *J* = 8.0 Hz, 2H), 6.48 (s, 1H), 3.51 (d, *J* = 12.0 Hz, 1H), 3.39 (d, *J* = 12.0 Hz, 1H), 2.25 (s, 3H), 1.63 (s, 9H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.7, 177.6, 165.7, 163.4, 151.6, 137.4, 134.2, 131.7, 130.2, 129.6, 129.1, 129.0, 128.7, 128.5, 128.0, 127.8, 127.7, 113.7, 106.9, 84.2, 81.0, 60.6, 41.5, 28.4, 28.1, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{36}H_{38}N_2O_6Na$) requires m/z 617.2622, found m/z 617.2625. The enantiomeric excess was determined to be 78% by HPLC. [ID column, 254 nm, *n*-hexane:IPA = 98:2, 1.0 mL/min]: 5.4 min (minor), 6.1 min (major). $[\alpha]^{22}_D$ = +131.5 (c = 1.00, CH_2Cl_2).

(*R*)-benzyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3da)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 21.9 mg, 70% yield. mp 158.2-159.3 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.22-8.20 (m, 2H), 7.61-7.59 (m, 2H), 7.51-7.44 (m, 3H), 7.39-7.36 (m, 3H), 7.27-7.25 (m, 2H), 7.20-7.17 (m, 3H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.66 (d, *J* = 8.0 Hz, 2H), 6.48 (s, 1H), 5.47 (d, *J* = 12.0 Hz, 1H), 5.35 (d, *J* = 12.0 Hz, 1H), 3.49 (d, *J* = 16.0 Hz, 1H), 3.39 (d, *J* = 16.0 Hz, 1H), 2.25 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 203.0, 177.6, 165.7, 164.2, 151.6, 137.4, 135.2, 133.8, 131.8, 130.1, 129.6, 129.1, 129.0, 128.9, 128.8, 128.7, 128.6, 128.5, 128.4, 127.9, 127.8, 114.7, 106.1, 81.3, 68.3, 60.5, 41.5, 28.3, 21.2. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{39}H_{36}N_2O_6Na$) requires m/z 651.2466, found m/z 651.2466. The enantiomeric excess was determined to be 81% by HPLC. [ID column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 6.9 min (minor), 7.9 min (major). $[\alpha]^{22}_D$ = +112.27 (c = 1.00, CH_2Cl_2).

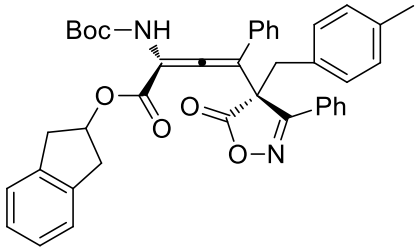
(*R*)-cyclohexyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ea)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 17.3 mg, 56% yield. mp 189.2-190.6 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.24-8.22 (m, 2H), 7.52-7.45 (m, 3H), 7.31-7.28 (m, 2H), 7.22-7.20 (m, 3H), 6.93 (d, *J*

= 8.0 Hz, 2H), 6.68 (d, *J* = 8.0 Hz, 2H), 6.51 (s, 1H), 5.00-4.94 (m, 1H), 3.52 (d, *J* = 16.0 Hz, 1H), 3.41 (d, *J* = 16.0 Hz, 1H), 2.25 (s, 3H), 2.05-2.02 (m, 1H), 1.91-1.78 (m, 4H), 1.70-1.64 (m, 1H), 1.59 (s, 9H), 1.49-1.30 (m, 4H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.8, 177.5, 165.7, 163.8, 151.6, 137.4, 134.0, 131.7, 130.2, 129.6, 129.1, 129.0, 128.7, 128.6, 128.0, 127.8, 114.3, 106.3, 81.2, 75.9, 60.6, 41.4, 31.5, 31.3, 28.4, 25.2, 23.7, 23.6, 21.2. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{38}H_{40}N_2O_6Na$) requires m/z 643.2779, found m/z 643.2777. The enantiomeric excess was determined to be 84% by HPLC. [ID column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 5.6 min (minor), 6.3 min (major). $[\alpha]^{22}_D$ = +197.41 (c = 1.00, CH_2Cl_2).

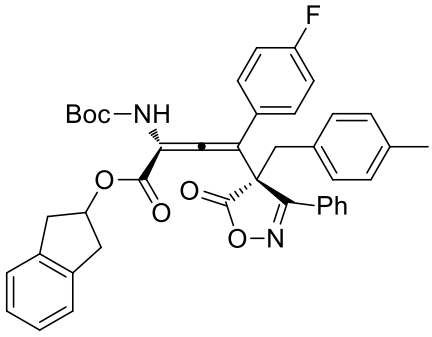
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3f a)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow solid, 27.3 mg, 84% yield. mp 87.7-88.7 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23 (d, *J* = 8.0 Hz, 2H), 7.54-7.51 (m, 1H), 7.49-7.46 (m, 2H), 7.36-7.35 (m, 1H), 7.27-7.23 (m, 3H), 7.14-7.11 (m, 1H), 7.03-7.00 (m, 2H), 6.98-6.96 (m, 2H), 6.89 (d, *J* = 8.0 Hz, 2H), 6.54 (d, *J* = 4.0 Hz, 2H), 6.45 (s, 1H), 5.69-5.68 (m, 1H), 3.58-3.55 (m, 1H), 3.47-3.36 (m, 3H), 3.31-3.23 (m, 2H), 2.24 (m, 3H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 177.0, 165.3, 164.3, 151.5, 140.3, 140.0, 137.3, 133.6, 131.7, 130.1, 129.6, 129.0, 128.6, 128.4, 128.1, 127.9, 127.8, 126.8, 126.7, 125.0, 124.8, 114.2, 110.0, 105.6, 81.2, 78.7, 60.8, 41.0, 39.6, 39.1, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{38}N_2O_6Na$) requires m/z 677.2622, found m/z 677.2620. The enantiomeric excess was determined to be 90% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 0.8 mL/min]: 9.4 min (major), 10.4 min (minor). $[\alpha]^{22}_D$ = +135.11 (c = 1.00, CH_2Cl_2).

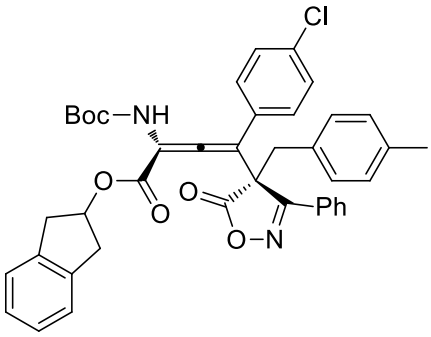
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-fluorophenyl) -4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dien oate (3ga)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 28.8 mg, 86% yield. mp 101.1-101.7 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23-8.21 (m, 2H), 7.57-7.53 (m, 1H), 7.51-7.47 (m, 2H), 7.40-7.38 (m, 1H), 7.30-7.27 (m, 3H), 6.91-6.86 (m, 4H), 6.69-6.65 (m, 2H), 6.56 (d, *J* = 8.0 Hz, 2H), 6.47 (s, 1H), 5.72-5.68 (m, 1H), 3.63-3.58 (m, 1H), 3.46-3.45 (m, 1H), 3.43-3.36 (m, 2H), 3.31-3.28



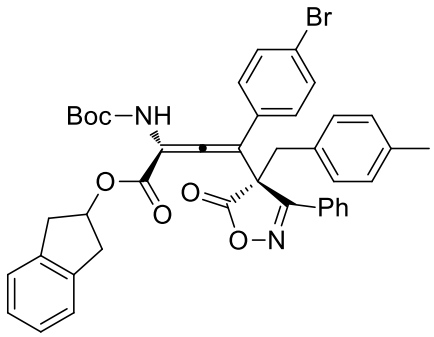
(m, 1H), 3.24-3.20 (m, 1H), 2.24 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.5, 177.0, 165.2, 164.2, 162.6 (d, *J* = 246.0 Hz), 151.6, 140.5, 140.0, 137.4, 131.9, 130.1, 129.9 (d, *J* = 9.0 Hz), 129.6, 129.2, 129.1, 128.1, 127.8, 127.0, 126.9 (d, *J* = 15.0 Hz), 125.1, 124.8, 124.7, 115.6 (d, *J* = 22.0 Hz), 113.2, 105.6, 81.4, 78.9, 61.0, 40.8, 39.8, 39.1, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{37}N_2O_6FNa$) requires m/z 695.2528, found m/z 695.2529. The enantiomeric excess was determined to be 90% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 5.8 min (major), 6.6 min (minor). $[\alpha]^{22}_D$ = +109.29 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-chlorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ha)



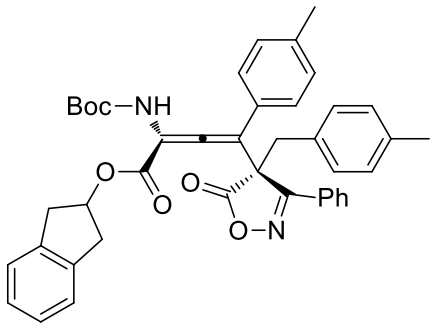
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow solid, 27.5 mg, 80% yield. mp 130.0-130.5 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.21-8.18 (m, 2H), 7.56-7.52 (m, 1H), 7.49-7.45 (m, 2H), 7.38-7.36 (m, 1H), 7.26-7.24 (m, 4H), 6.98-6.95 (m, 2H), 6.89 (d, *J* = 8.0 Hz, 2H), 6.86-6.83 (m, 2H), 6.54 (d, *J* = 8.0 Hz, 2H), 6.46 (s, 1H), 5.71-5.67 (m, 1H), 3.60-3.55 (m, 1H), 3.45-3.44 (m, 1H), 3.41-3.34 (m, 2H), 3.29-3.26 (m, 1H), 3.23-3.18 (m, 1H), 2.24 (m, 3H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.6, 176.9, 165.2, 164.1, 151.6, 140.4, 140.0, 137.4, 134.5, 132.0, 131.9, 129.9, 129.6, 129.3, 129.1, 129.0, 128.8, 128.0, 127.7, 126.9, 126.8, 125.1, 124.8, 113.2, 105.9, 81.4, 78.9, 60.7, 40.9, 39.7, 39.1, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{37}N_2O_6ClNa$) requires m/z 711.2232 and 713.2203(^{37}Cl), found m/z 711.2230 and 713.2211(^{37}Cl). The enantiomeric excess was determined to be 91% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 5.7 min (major), 6.6 min (minor). $[\alpha]^{22}_D$ = +103.86 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-(4-bromophenyl)-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ia)



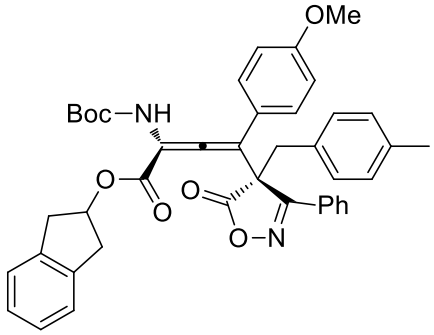
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow solid, 25.5 mg, 70% yield. mp 98.3-99.2 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.20-8.18 (m, 2H), 7.56-7.52 (m, 1H), 7.50-7.46 (m, 2H), 7.38-7.36 (m, 1H), 7.27-7.24 (m, 4H), 7.13-7.10 (m, 2H), 6.89 (d, *J* = 8.0 Hz, 2H), 6.80-6.77 (m, 2H), 6.54 (d, *J* = 8.0 Hz, 2H), 6.46 (s, 1H), 5.71-5.67 (m, 1H), 3.59-3.55 (m, 1H), 3.45-3.44 (m, 1H), 3.41-3.34 (m, 2H), 3.29-3.26 (m, 1H), 3.23-3.18 (m, 1H), 2.24 (m, 3H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.6, 176.9, 165.2, 164.1, 151.6, 140.4, 140.0, 137.4, 132.5, 131.9, 131.8, 129.9, 129.6, 129.5, 129.2, 129.1, 128.0, 127.7, 126.9, 126.8, 125.1, 124.8, 122.9, 113.2, 105.9, 81.5, 78.9, 60.7, 40.9, 39.7, 39.1, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{37}N_2O_6BrNa$) requires m/z 755.1727 and 757.1707(^{81}Br), found m/z 755.1723 and 757.1708(^{81}Br). The enantiomeric excess was determined to be 91% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 5.8 min (major), 6.8 min (minor). $[\alpha]^{22}_{D}$ = +140.15 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(*p*-tolyl)buta-2,3-dienoate (3j a)



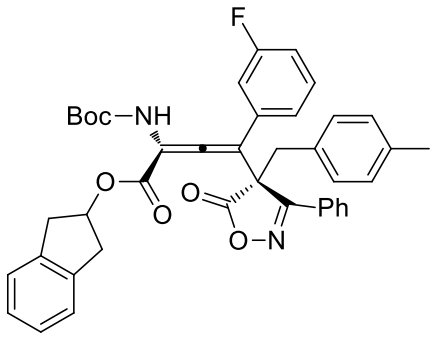
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 25.6 mg, 77% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23 (d, *J* = 8.0 Hz, 2H), 7.55-7.51 (m, 1H), 7.49-7.46 (m, 2H), 7.37-7.35 (m, 1H), 7.30-7.28 (m, 1H), 7.25-7.24 (m, 1H), 6.90-6.83 (m, 7H), 6.53 (d, *J* = 8.0 Hz, 2H), 6.45 (s, 1H), 5.70-5.65 (m, 1H), 3.58-3.53 (m, 1H), 3.47-3.46 (m, 1H), 3.42-3.35 (m, 2H), 3.29-3.28 (m, 1H), 3.26-3.23 (m, 1H), 2.24 (s, 3H), 2.19 (s, 3H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.3, 177.1, 165.4, 164.4, 151.5, 140.4, 140.1, 138.4, 137.3, 131.7, 130.6, 130.2, 129.6, 129.4, 129.0, 128.2, 127.9, 127.8, 126.9, 126.8, 125.0, 124.8, 114.2, 105.5, 81.2, 78.7, 60.8, 40.9, 39.5, 39.2, 28.3, 21.2, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{42}H_{40}N_2O_6Na$) requires m/z 691.2779, found m/z 691.2780. The enantiomeric excess was determined to be 90% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 6.4 min (major) , 7.2 min (minor). $[\alpha]^{22}_{D}$ = +158.57 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-methoxyphenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ka)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 20:1. Yellow oil, 28.1 mg, 82% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23 (d, *J* = 4.0 Hz, 2H), 7.53-7.46 (m, 3H), 7.37-7.35 (m, 1H), 7.30-7.28 (m, 1H), 7.25-7.23 (m, 2H), 6.90-6.87 (m, 4H), 6.54-6.52 (m, 4H), 6.45 (s, 1H), 5.70-5.65 (m, 1H), 3.67 (s, 3H), 3.59-3.54 (m, 1H), 3.46-3.44 (m, 1H), 3.42-3.35 (m, 2H), 3.28-3.22 (m, 2H), 2.23 (s, 3H), 1.56 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.3, 177.1, 165.4, 164.4, 159.6, 151.6, 140.5, 140.1, 137.3, 131.7, 130.2, 129.6, 129.2, 129.1, 129.0, 128.2, 127.8, 126.9, 126.7, 125.7, 125.0, 124.8, 114.0, 113.9, 105.2, 81.2, 78.7, 60.9, 55.1, 40.9, 39.6, 39.1, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{42}H_{40}N_2O_7Na$) requires m/z 707.2728, found m/z 707.2727. The enantiomeric excess was determined to be 84% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 12.1 min (major), 15.1 min (minor). $[\alpha]^{22}_D$ = +131.91 (c = 1.00, CH_2Cl_2).

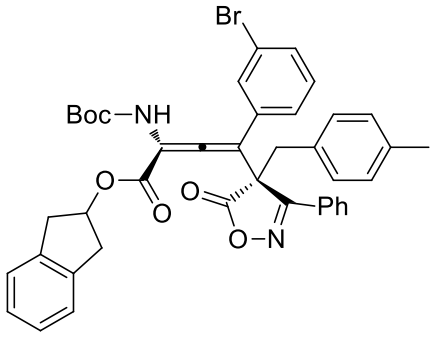
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(3-fluorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3la)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow oil, 26.3 mg, 78% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.19 (d, *J* = 8.0 Hz, 2H), 7.55-7.51 (m, 1H), 7.49-7.45 (m, 2H), 7.35-7.33 (m, 1H), 7.25-7.22 (m, 3H), 7.01-6.96 (m, 1H), 6.89 (d, *J* = 8.0 Hz, 2H), 6.85-6.79 (m, 2H), 6.74-6.72 (m, 1H), 6.52 (d, *J* = 8.0 Hz, 2H), 6.48 (s, 1H), 5.71-5.66 (m, 1H), 3.56-3.51 (m, 1H), 3.47-3.44 (m, 1H), 3.42-3.35 (m, 2H), 3.29-3.22 (m, 2H), 2.24 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 203.0, 177.0, 165.2, 164.1, 162.3 (d, *J* = 246.0 Hz), 151.6, 140.2, 140.0, 137.4, 135.7 (d, *J* = 8.0 Hz), 131.9, 130.3 (d, *J* = 8.0 Hz), 129.9, 129.6, 129.1 (d, *J* = 4.0 Hz), 127.9, 127.7, 126.9, 126.8, 124.9, 124.8, 123.4 (d, *J* = 4.0 Hz), 115.7, 115.5, 115.2 (d, *J* = 23.0 Hz), 113.3, 106.1, 81.5, 78.9, 60.5, 41.2, 39.5, 39.2, 28.3, 21.1. HRMS (ESI): exact mass calculated for

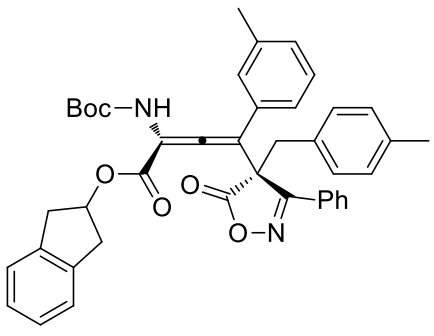
$[M+Na]^+$ ($C_{41}H_{37}N_2O_6FNa$) requires m/z 695.2528, found m/z 695.2527. The enantiomeric excess was determined to be 93% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 0.8 mL/min]: 10.2 min (major), 11.1 min (minor). $[\alpha]^{22}_D$ = +177.78 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-(3-bromophenyl)-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ma)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow oil, 26.4 mg, 72% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.18-8.15 (m, 2H), 7.54-7.51 (m, 1H), 7.49-7.45 (m, 2H), 7.34-7.32 (m, 1H), 7.28-7.27 (m, 2H), 7.25-7.21 (m, 3H), 6.91-6.85 (m, 4H), 6.51 (d, *J* = 8.0 Hz, 2H), 6.47 (s, 1H), 5.69-5.66 (m, 1H), 3.49-3.43 (m, 2H), 3.41-3.36 (m, 2H), 3.27-3.23 (m, 2H), 2.23 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 203.1, 177.0, 165.2, 164.1, 151.6, 140.2, 140.0, 137.4, 135.7, 131.9, 131.6, 131.3, 130.2, 129.8, 129.6, 129.1, 129.0, 127.8, 127.7, 126.9, 126.8, 126.0, 124.9, 124.7, 122.5, 113.0, 106.3, 81.5, 78.8, 60.4, 41.2, 39.4, 39.2, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{37}N_2O_6BrNa$) requires m/z 755.1727 and 757.1701(^{81}Br), found m/z 755.1721 and 757.1701(^{81}Br). The enantiomeric excess was determined to be 92% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 0.5 mL/min]: 14.8 min (major), 16.1 min (minor). $[\alpha]^{22}_D$ = +120.10 (c = 1.00, CH_2Cl_2).

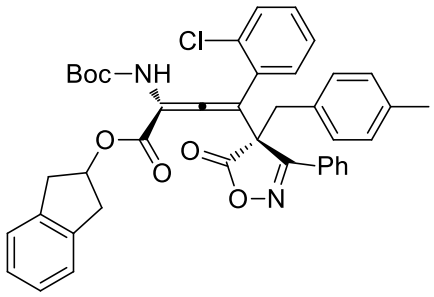
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(*m*-tolyl)buta-2,3-dienoate (3na)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow oil, 29.2 mg, 87% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23-8.21 (m, 2H), 7.54-7.51 (m, 1H), 7.49-7.45 (m, 2H), 7.36-7.34 (m, 1H), 7.29-7.27 (m, 1H), 7.25-7.22 (m, 2H), 6.96-6.93 (m, 2H), 6.91-6.88 (m, 3H), 6.82-6.81 (m, 1H), 6.53 (d, *J* = 8.0 Hz, 2H), 6.46 (s, 1H), 5.70-5.65 (m, 1H), 3.58-3.53 (m, 1H), 3.48-3.46 (m, 1H), 3.44-3.37 (m, 2H), 3.30-3.25 (m, 2H), 2.24 (s,

3H), 2.09 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 177.2, 165.4, 164.5, 151.6, 140.3, 140.1, 138.2, 137.3, 133.5, 131.7, 130.1, 129.6, 129.4, 129.1, 129.0, 128.6, 128.5, 128.2, 127.9, 126.9, 126.8, 124.9, 124.8, 124.7, 114.4, 105.6, 81.2, 78.6, 60.7, 41.1, 39.5, 39.2, 28.3, 21.4, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{42}H_{40}N_2O_6Na$) requires m/z 691.2779, found m/z 691.2780. The enantiomeric excess was determined to be 90% by HPLC. [IC column, 254 nm, *n*-hexane:IPA = 98:2, 1.2 mL/min]: 7.5 min (major), 8.6 min (minor). $[\alpha]^{22}_D$ = +89.72 (c = 1.00, CH_2Cl_2).

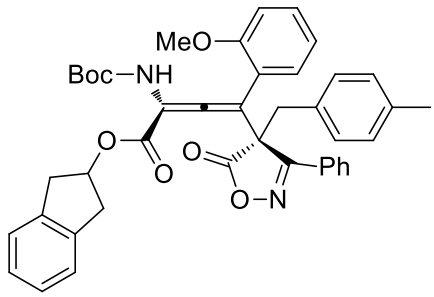
(*S*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(2-chlorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3oa)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 28.4 mg, 83% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.18 (d, *J* = 4.0 Hz, 2H), 7.50-7.46 (m, 1H), 7.43-7.39 (m, 2H), 7.33-7.31 (m, 1H), 7.24-7.18 (m, 4H), 7.08-7.02 (m, 2H), 6.97-6.93 (m, 1H), 6.88 (d, *J* = 8.0 Hz, 2H), 6.60 (d, *J* = 8.0 Hz, 2H), 6.36 (s, 1H), 5.68-5.63 (m, 1H), 3.80-3.76 (m, 1H), 3.44-3.42 (m, 2H), 3.38-3.33 (m, 2H), 3.25-3.20 (m, 1H), 2.23 (s, 3H), 1.55 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 204.5, 177.0, 165.1, 164.2, 151.4, 140.2, 140.0, 137.2, 133.2, 131.8, 131.6, 130.3, 130.2, 129.8, 129.7, 129.5, 129.0, 128.7, 128.1, 128.0, 126.9, 126.8, 124.8, 124.7, 110.3, 106.2, 81.2, 78.4, 60.5, 41.0, 39.4, 39.2, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{37}N_2O_6ClNa$) requires m/z 711.2232 and 713.2203(^{37}Cl), found m/z 711.2229 and 713.2208(^{37}Cl). The enantiomeric excess was determined to be 92% by HPLC. [ID column, 254 nm, *n*-hexane:IPA = 90:10, 1.0 mL/min]: 7.5 min (minor), 8.2 min (major). $[\alpha]^{22}_D$ = +134.58 (c = 1.00, CH_2Cl_2).

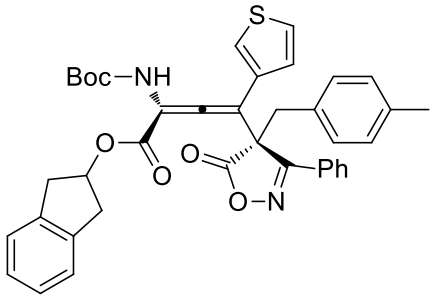
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(2-methoxyphenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3pa)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 20:1. White oil, 30.7 mg, 89% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.09-8.07 (m, 2H), 7.43-7.31 (m, 4H), 7.21-7.17 (m, 3H), 7.11-7.08 (m, 1H), 7.06-7.01 (m, 1H), 6.88 (d, *J* = 8.0 Hz, 2H), 6.65 (d, *J* = 8.0 Hz, 2H), 6.61-6.55 (m, 2H), 6.42 (s, 1H), 5.69-5.64 (m, 1H), 3.63-3.60 (m, 1H), 3.56-3.46 (m, 2H), 3.43-3.41 (m, 1H), 3.39 (s, 3H), 3.38-3.34



(m, 1H), 3.17-3.12 (m, 1H), 2.22 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 203.3, 177.1, 165.3, 164.5, 156.7, 151.6, 140.5, 140.1, 137.1, 132.3, 131.0, 130.6, 129.7, 128.9, 128.4, 128.3, 127.8, 126.8, 126.6, 124.8, 124.6, 122.9, 120.4, 112.5, 110.7, 105.1, 81.1, 78.1, 59.8, 54.2, 42.5, 39.5, 38.9, 28.3, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{40}N_2O_7Na$) requires m/z 707.2728, found m/z 707.2725. The enantiomeric excess was determined to be 89% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 98:2, 0.6 mL/min]: 12.7 min (minor), 13.8 min (major). $[\alpha]^{22}_D$ = -3.03 (c = 1.00, CH_2Cl_2).

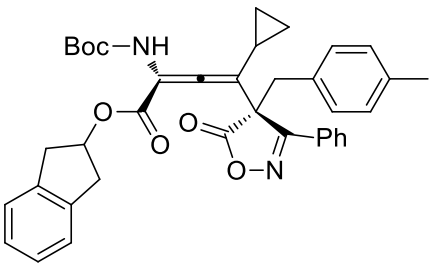
(*S*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(thiophen-3-yl)buta-2,3-dieno ate (3qa)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow oil, 28.7 mg, 87% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.21-8.19 (m, 2H), 7.54-7.44 (m, 3H), 7.31-7.29 (m, 2H), 7.25-7.20 (m, 2H), 7.04-7.02 (m, 1H), 6.92-6.87 (m, 3H), 6.74-6.73 (m, 1H), 6.52-6.48 (m, 3H), 5.70-5.65 (m, 1H), 3.53-3.44 (m, 2H), 3.41-3.35 (m, 2H), 3.31-3.20 (m, 2H), 2.24 (s, 3H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.8, 177.1, 165.9, 164.2, 151.6, 140.3, 140.1, 137.4, 133.1, 131.8, 130.0, 129.6, 129.1, 129.0, 128.0, 127.7, 127.3, 126.9, 126.8, 125.8, 125.0, 124.9, 123.8, 110.4, 105.6, 81.3, 78.9, 60.3, 40.9, 39.5, 39.2, 28.3, 21.2. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{39}H_{36}N_2O_6SNa$) requires m/z 683.2186, found m/z 683.2186. The enantiomeric excess was determined to be 90% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 8.2 min (major), 9.1 min (minor). $[\alpha]^{22}_D$ = +216.33 (c = 1.00, CH_2Cl_2).

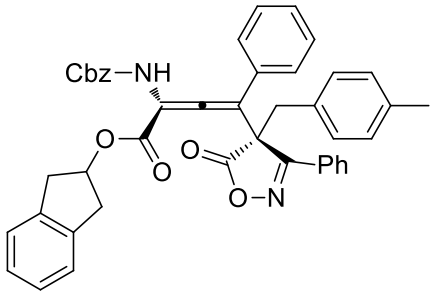
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-cyclopropyl-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoa te (3ra)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 24.7 mg, 80% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.17-8.15 (m, 2H), 7.55-7.45 (m, 3H), 7.32-7.28 (m, 1H), 7.26-7.23 (m, 1H), 7.22-7.17 (m, 2H), 6.87 (d, *J*



= 8.0 Hz, 2H), 6.55-6.53 (m, 2H), 6.42 (s, 1H), 5.66-5.61 (m, 1H), 3.40-3.34 (m, 4H), 3.17-3.10 (m, 2H), 2.22 (s, 3H), 1.54 (s, 9H), 1.02-0.96 (m, 1H), 0.46-0.35 (m, 3H), 0.12-0.08 (m, 1H) . ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 197.7, 177.6, 165.9, 164.4, 151.5, 140.3, 139.9, 137.1, 131.8, 130.6, 129.5, 129.1, 129.0, 128.0, 127.6, 126.9, 126.7, 124.9, 124.6, 116.5, 106.3, 81.0, 78.3, 60.9, 40.1, 39.6, 38.9, 28.3, 21.1, 11.5, 8.3, 5.7. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{38}H_{38}N_2O_6Na$) requires m/z 641.2622, found m/z 641.2615. The enantiomeric excess was determined to be 70% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 98:2, 1.0 mL/min]: 6.9 min (major), 9.8 min (minor). $[\alpha]^{22}_D$ = +74.1 (c = 1.00, CH_2Cl_2).

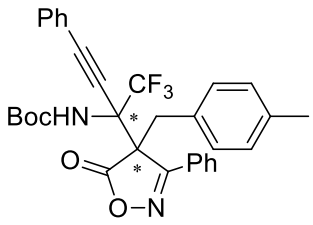
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-(((benzyloxy)carbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3sa)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 22.7 mg, 66% yield. ^{1}H NMR ($(CD_3)_2SO$, 400 MHz): δ (ppm) 9.16 (s, 1H), 8.06 (d, *J* = 8.0 Hz, 2H), 7.62-7.58 (m, 1H), 7.51-7.45 (m, 4H), 7.40-7.29 (m, 5H), 7.26-7.19 (m, 3H), 7.14-7.11 (m, 2H), 6.94-6.92 (m, 4H), 6.41 (d, *J* = 8.0 Hz, 2H), 5.63-5.61 (m, 1H), 5.30-5.23 (m, 2H), 3.43-3.38 (m, 2H), 3.33-3.29 (m, 2H), 3.23-3.13 (m, 2H), 2.19 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.2, 176.9, 165.1, 164.1, 152.4, 140.3, 140.0, 137.4, 135.7, 133.3, 131.8, 130.0, 129.6, 129.2, 129.1, 128.8, 128.7, 128.6, 128.5, 128.4, 128.1, 127.9, 127.7, 126.9, 126.8, 125.0, 124.8, 115.2, 105.4, 79.0, 67.7, 60.7, 41.0, 39.6, 39.1, 21.1. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{44}H_{36}N_2O_6Na$) requires m/z 711.2466, found m/z 711.2464. The enantiomeric excess was determined to be 32% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 95:5, 1.0 mL/min]: 12.8 min (major), 14.4 min (minor). $[\alpha]^{22}_D$ = +41.24 (c = 1.00, CH_2Cl_2).

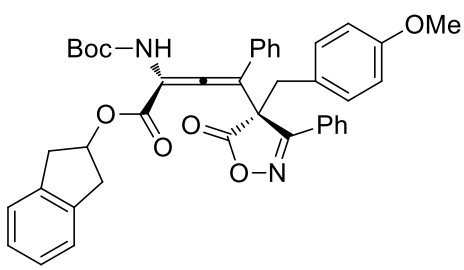
***tert*-butyl (1,1,1-trifluoro-2-(4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbut-3-yn-2-yl)carbamate (3ta)**

Eluent for flash column chromatography: petroleumether/ethyl acetate = 50:1. White oil, 23.6 mg, 84% yield. ^{1}H NMR ($(CD_3)_2SO$, 500 MHz): δ (ppm) 9.72 (s, 1H), 8.05 (d,



J = 5.0 Hz, 2H), 7.62-7.59 (m, 1H), 7.50-7.47 (m, 2H), 7.31-7.28 (m, 2H), 7.27-7.24 (m, 1H), 7.15-7.13 (m, 2H), 6.96 (d, *J* = 10.0 Hz, 2H), 6.60 (d, *J* = 5.0 Hz, 2H), 3.57 (d, *J* = 15.0 Hz, 1H), 3.26 (d, *J* = 15.0 Hz, 1H), 2.19 (s, 3H), 1.56 (s, 9H). ^{13}C NMR ($(CD_3)_2SO$, 125 MHz): δ (ppm) 177.6, 165.8, 153.3, 137.7, 133.5, 133.0, 129.8, 129.6, 129.6, 129.5, 129.5, 127.4, 127.3, 127.2, 122.5, 120.4, 115.4, 81.6, 59.8, 41.6, 28.4, 28.2, 21.0. ^{19}F NMR ($(CD_3)_2SO$, 470 MHz): δ (ppm) -64.49. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{32}H_{29}O_4N_2F_3Na$) requires m/z 585.1972, found m/z 585.1976.

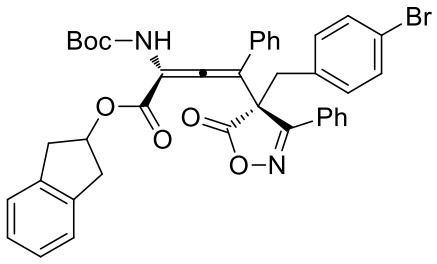
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methoxybenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fb)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 20:1. White oil, 26.6 mg, 79% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.24-8.22 (m, 2H), 7.53-7.45 (m, 3H), 7.37-7.35 (m, 1H), 7.28-7.23 (m, 3H), 7.15-7.11 (m, 1H), 7.04-6.96 (m, 4H), 6.63-6.56 (m, 4H), 6.46 (s, 1H), 5.70-5.67 (m, 1H), 3.72 (s, 3H), 3.59-3.54 (m, 1H), 3.46-3.35 (m, 3H), 3.30-3.22 (m, 2H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.5, 177.1, 165.3, 164.4, 159.0, 151.6, 140.4, 140.1, 133.6, 131.8, 130.9, 129.1, 128.6, 128.5, 128.1, 127.9, 127.8, 126.9, 126.8, 125.2, 125.0, 124.8, 114.2, 113.7, 105.6, 81.3, 78.8, 60.8, 55.1, 40.6, 39.6, 39.2, 28.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{38}N_2O_7Na$) requires m/z 693.2571, found m/z 693.2575. The enantiomeric excess was determined to be 86% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 13.3 min (minor), 14.6 min (major). $[\alpha]^{22}_D$ = +146.30 (c = 1.00, CH_2Cl_2).

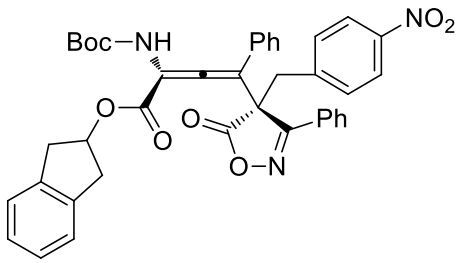
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-(4-bromobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fc)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 28.1 mg, 78% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23-8.21 (m, 2H), 7.54-7.46 (m, 3H), 7.36-7.34 (m, 1H), 7.30-7.28 (m, 1H), 7.25-7.24 (m, 2H), 7.22-7.19 (m, 2H), 7.16-7.12 (m, 1H), 7.05-7.01 (m, 2H), 6.98-6.95 (m, 2H), 6.49-6.46 (m, 3H),



5.70-5.66 (m, 1H), 3.56-3.51 (m, 1H), 3.46-3.45 (m, 1H), 3.42-3.35 (m, 2H), 3.29-3.24 (m, 2H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 176.8, 165.0, 164.2, 151.6, 140.3, 140.1, 133.4, 132.4, 132.0, 131.5, 129.2, 128.7, 128.6, 128.0, 127.9, 127.8, 126.9, 126.8, 125.0, 124.9, 124.7, 122.0, 114.0, 105.8, 81.4, 78.9, 60.5, 40.6, 39.6, 39.3, 28.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_2O_6BrNa$) requires m/z 741.1571 and 743.1550(^{81}Br), found m/z 741.1572 and 743.1550(^{81}Br). The enantiomeric excess was determined to be 88% by HPLC. [ID column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 9.0 min (minor), 9.8 min (major). $[\alpha]^{22}_D$ = +164.35 (c = 1.00, CH_2Cl_2).

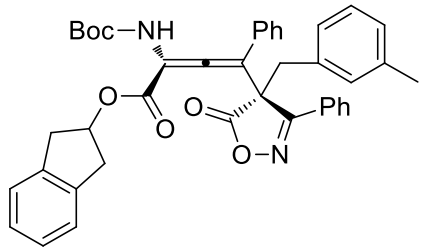
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-nitrobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fd)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 30.6 mg, 89% yield. mp 214.7-215.6 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.24-8.21 (m, 2H), 7.95-7.92 (m, 2H), 7.59-7.55 (m, 1H), 7.52-7.48 (m, 2H), 7.36-7.27 (m, 4H), 7.17-7.13 (m, 1H), 7.07-7.03 (m, 2H), 6.98-6.96 (m, 2H), 6.74 (d, *J* = 8.0 Hz, 2H), 6.48 (s, 1H), 5.71-5.67 (m, 1H), 3.60-3.57 (m, 1H), 3.54-3.46 (m, 2H), 3.43-3.35 (m, 2H), 3.31-3.27 (m, 1H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.3, 176.5, 164.8, 164.1, 151.6, 147.5, 141.0, 140.2, 133.1, 132.3, 130.8, 129.4, 128.7, 127.9, 127.7, 127.6, 127.5, 126.9, 126.8, 125.0, 124.9, 123.5, 113.8, 106.0, 81.5, 79.0, 60.3, 40.8, 39.6, 39.4, 28.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_3O_8Na$) requires m/z 708.2316, found m/z 708.2315. The enantiomeric excess was determined to be 90% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 9.7 min (minor), 10.4 min (major). $[\alpha]^{22}_D$ = +132.83 (c = 1.00, CH_2Cl_2).

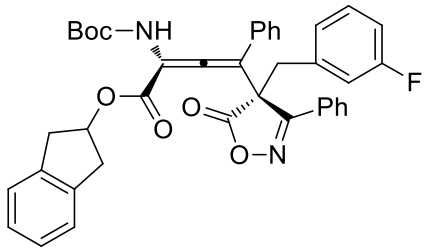
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fe)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 28.6 mg, 88% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.22-8.20 (m, 2H), 7.56-7.50 (m, 3H), 7.39-7.37 (m, 1H), 7.28-7.27 (m, 1H), 7.26-7.23 (m, 2H), 7.15-7.11



(m, 1H), 7.04-7.00 (m, 2H), 6.98-6.96 (m, 4H), 6.49-6.46 (m, 2H), 6.46 (s, 1H), 5.71-5.67 (m, 1H), 3.61-3.56 (m, 1H), 3.48-3.47 (m, 1H), 3.43-3.36 (m, 2H), 3.31-3.22 (m, 2H), 2.13 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 177.1, 165.3, 164.4, 151.6, 140.4, 140.1, 137.8, 133.6, 133.2, 131.7, 130.5, 129.0, 128.6, 128.5, 128.4, 128.3, 128.2, 128.0, 127.9, 126.9, 126.8, 125.0, 124.8, 124.7, 114.2, 105.6, 81.3, 78.8, 60.7, 41.2, 39.6, 39.1, 28.4, 21.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{38}N_2O_6Na$) requires m/z 677.2622, found m/z 677.2619. The enantiomeric excess was determined to be 86% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 6.2 min (major) , 7.1 min (minor). $[\alpha]^{22}_D$ = +106.42 (c = 1.00, CH_2Cl_2).

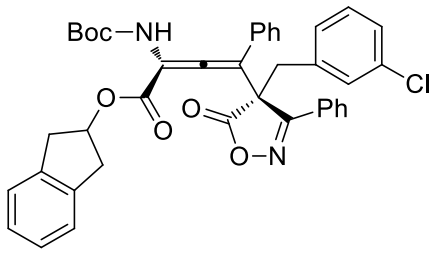
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-fluoro benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ff)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 27.4 mg, 83% yield. mp 167.5-168.8 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23-8.21 (m, 2H), 7.56-7.47 (m, 3H), 7.37-7.34 (m, 1H), 7.29-7.26 (m, 1H), 7.25-7.24 (m, 2H), 7.16-7.12 (m, 1H), 7.08-7.01 (m, 3H), 6.97-6.95 (m, 2H), 6.88-6.84 (m, 1H), 6.46-6.44 (m, 2H), 6.35-6.31 (m, 1H), 5.71-5.66 (m, 1H), 3.58-3.57 (m, 1H), 3.50-3.35 (m, 3H), 3.31-3.22 (m, 2H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.3, 176.8, 165.1, 164.3, 162.3 (d, J = 245.0 Hz), 151.6, 140.3, 140.1, 135.7 (d, J = 7.0 Hz), 133.4, 132.0, 129.9 (d, J = 9.0 Hz), 129.2, 128.6, 128.5, 128.0, 127.8, 127.0, 126.9 (d, J = 9.0 Hz), 125.5, 125.0, 124.8, 124.7, 116.7 (d, J = 21.0 Hz), 114.7 (d, J = 21.0 Hz), 114.0, 105.7, 81.4, 78.9, 60.5, 40.8, 39.6, 39.2, 28.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_2O_6FNa$) requires m/z 681.2371, found m/z 681.2371. The enantiomeric excess was determined to be 91% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 6.9 min (major) , 7.7 min (minor). $[\alpha]^{22}_D$ = +57.37 (c = 1.00, CH_2Cl_2).

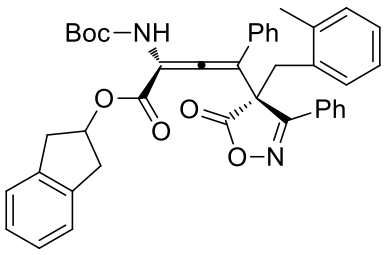
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-chloro benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3f g)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White



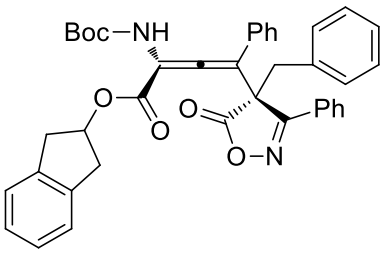
solid, 30.7 mg, 91% yield. mp 151.4-152.7 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.22-8.20 (m, 2H), 7.55-7.47 (m, 3H), 7.37-7.35 (m, 1H), 7.29-7.26 (m, 1H), 7.25-7.23 (m, 2H), 7.16-7.12 (m, 2H), 7.05-7.01 (m, 3H), 6.97-6.95 (m, 2H), 6.57-6.56 (m, 2H), 6.46 (s, 1H), 5.71-5.66 (m, 1H), 3.58-3.53 (m, 1H), 3.46-3.35 (m, 3H), 3.28-3.22 (m, 2H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.3, 176.8, 165.1, 164.2, 151.6, 140.3, 140.1, 135.4, 134.0, 133.4, 132.0, 129.9, 129.6, 129.2, 128.7, 128.6, 128.0, 127.9, 127.8, 127.0, 126.9, 126.8, 125.0, 124.8, 124.7, 114.0, 105.7, 81.4, 78.9, 60.5, 40.7, 39.6, 39.2, 28.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_2O_6ClNa$) requires m/z 697.2076 and 699.2054(^{37}Cl), found m/z 697.2075 and 699.2054(^{37}Cl). The enantiomeric excess was determined to be 85% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 6.9 min (major) , 7.7 min (minor). $[\alpha]^{22}_D$ = +110.91 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(2-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fh)



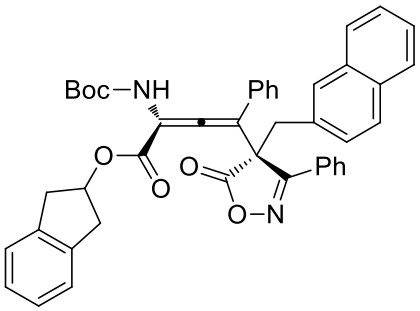
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 28.3 mg, 87% yield. mp 155.8-157.1 °C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.26-8.24 (m, 2H), 7.53-7.44 (m, 3H), 7.36-7.34 (m, 1H), 7.26-7.22 (m, 3H), 7.16-7.11 (m, 1H), 7.05-7.03 (m, 2H), 7.01-6.93 (m, 5H), 6.77-6.75 (m, 1H), 6.48 (s, 1H), 5.70-5.66 (m, 1H), 3.58-3.53 (m, 3H), 3.47-3.36 (m, 2H), 3.27-3.22 (m, 1H), 1.90 (s, 3H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.6, 177.4, 165.5, 164.4, 151.6, 140.3, 139.9, 137.2, 133.5, 131.9, 131.8, 130.8, 129.7, 129.0, 128.6, 128.5, 128.2, 128.1, 128.0, 127.6, 126.9, 126.8, 125.8, 125.0, 124.7, 114.7, 105.8, 81.3, 78.8, 60.2, 39.6, 39.1, 36.9, 28.3, 19.2. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{41}H_{38}N_2O_6Na$) requires m/z 677.2622, found m/z 677.2622. The enantiomeric excess was determined to be 92% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 6.6 min (major) , 7.5 min (minor). $[\alpha]^{22}_D$ = +124.02 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fi)



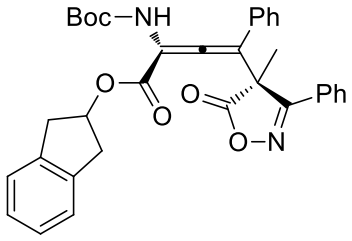
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 28.9 mg, 90% yield. mp 180.8-181.4 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.25-8.23 (m, 2H), 7.54-7.46 (m, 3H), 7.38-7.36 (m, 1H), 7.30-7.27 (m, 1H), 7.25-7.24 (m, 2H), 7.17-7.08 (m, 4H), 7.05-6.97 (m, 4H), 6.68-6.66 (m, 2H), 6.48 (s, 1H), 5.72-5.67 (m, 1H), 3.61-3.56 (m, 1H), 3.53-3.49 (m, 1H), 3.48-3.37 (m, 2H), 3.36-3.33 (m, 1H), 3.28-3.23 (m, 1H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.5, 177.0, 165.2, 164.4, 151.6, 140.4, 140.1, 133.6, 133.3, 131.8, 129.8, 129.1, 128.6, 128.5, 128.4, 128.2, 128.0, 127.8, 127.7, 126.9, 126.8, 125.0, 124.8, 114.2, 105.7, 81.3, 78.8, 60.7, 41.3, 39.6, 39.2, 28.4. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{36}N_2O_6Na$) requires m/z 663.2466, found m/z 663.2462. The enantiomeric excess was determined to be 94% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 10.3 min (minor), 11.6 min (major). $[\alpha]^{22}_{D}$ = +133.08 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(naphthalen-2-ylmethyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fj)



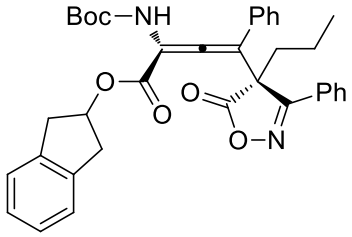
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 30.1 mg, 87% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.23-8.21 (m, 2H), 7.74-7.72 (m, 1H), 7.59-7.55 (m, 3H), 7.53-7.49 (m, 2H), 7.42-7.38 (m, 3H), 7.32-7.27 (m, 3H), 7.16-7.10 (m, 2H), 7.05-7.03 (m, 1H), 7.01-6.98 (m, 3H), 6.79-6.76 (m, 1H), 6.49 (s, 1H), 5.74-5.69 (m, 1H), 3.67-3.60 (m, 2H), 3.51-3.44 (m, 2H), 3.42-3.38 (m, 1H), 3.30-3.22 (m, 1H), 1.59 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.5, 177.1, 165.3, 164.4, 151.6, 140.4, 140.1, 133.9, 133.5, 133.1, 132.7, 131.8, 130.9, 129.1, 129.0, 128.8, 128.6, 128.5, 128.3, 128.0, 127.9, 127.8, 127.6, 126.9, 126.8, 126.0, 125.9, 125.0, 124.8, 114.2, 105.7, 81.3, 78.9, 60.8, 41.4, 39.6, 39.2, 28.4. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{44}H_{38}N_2O_6Na$) requires m/z 713.2622, found m/z 713.2619. The enantiomeric excess was determined to be 88% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 85:15, 1.0 mL/min]: 6.0 min (minor), 7.6 min (major). $[\alpha]^{22}_{D}$ = +190.08 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-methyl-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fk)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow solid, 25.0 mg, 89% yield. mp 108.8-109.3 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.25-8.23 (m, 2H), 7.49-7.42 (m, 3H), 7.34 (d, *J* = 8.0 Hz, 1H), 7.23-7.21 (m, 3H), 7.15-7.11 (m, 1H), 7.03-6.99 (m, 2H), 6.93-6.91 (m, 2H), 6.43 (s, 1H), 5.67-5.62 (m, 1H), 3.52-3.47 (m, 1H), 3.41-3.38 (m, 1H), 3.37-3.32 (m, 1H), 3.17-3.12 (m, 1H), 1.71 (s, 3H), 1.55 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.7, 178.1, 167.4, 164.3, 151.5, 140.4, 139.9, 133.6, 131.9, 129.0, 128.6, 128.5, 127.9, 127.7, 127.2, 126.9, 126.7, 125.0, 124.6, 114.5, 105.6, 81.2, 78.6, 53.7, 39.6, 38.8, 28.3, 22.4. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{34}H_{32}N_2O_6Na$) requires m/z 587.2153, found m/z 587.2153. The enantiomeric excess was determined to be 94% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 8.5 min (major) , 9.6 min (minor). $[\alpha]^{22}_D$ = +182.62 (c = 1.00, CH_2Cl_2).

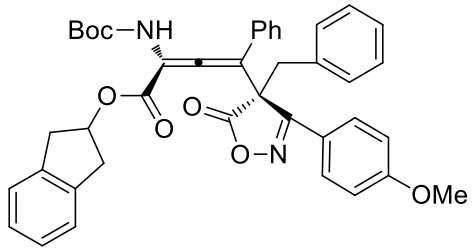
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-5-oxo-3-phenyl-4-propyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fl)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White oil, 25.3 mg, 86% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.24 (d, *J* = 8.0 Hz, 2H), 7.50-7.48 (m, 1H), 7.47-7.43 (m, 2H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.25-7.21 (m, 3H), 7.14-7.10 (m, 1H), 7.01-6.97 (m, 2H), 6.91-6.89 (m, 2H), 6.42 (s, 1H), 5.67-5.63 (m, 1H), 3.53-3.48 (m, 1H), 3.42-3.40 (m, 1H), 3.38-3.32 (m, 1H), 3.17-3.12 (m, 1H), 2.21-2.13 (m, 1H), 2.05-1.98 (m, 1H), 1.56 (s, 9H), 1.15-1.04 (m, 2H), 0.80 (t, *J* = 8.0 Hz, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 177.8, 166.0, 164.4, 151.5, 140.4, 139.9, 133.7, 131.9, 129.0, 128.5, 128.4, 127.9, 127.6, 127.6, 126.9, 126.7, 125.0, 124.6, 114.3, 105.3, 81.1, 78.6, 59.2, 39.6, 38.9, 37.2, 28.3, 17.7, 13.9. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{36}H_{36}N_2O_6Na$) requires m/z 615.2466, found m/z 615.2462. The enantiomeric excess was determined to be 92% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 6.1 min (major) , 6.9 min (minor). $[\alpha]^{22}_D$ = +62.32 (c = 1.00, CH_2Cl_2).

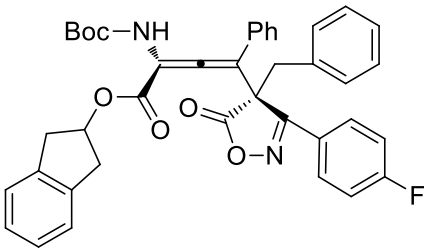
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(4-methoxyphenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fm)

Eluent for flash column chromatography: petroleumether/ethyl acetate = 20:1. White



solid, 24.8 mg, 74% yield. mp 165.6-167.3 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.20-8.17 (m, 2H), 7.37-7.35 (m, 1H), 7.29-7.27 (m, 1H), 7.25-7.23 (m, 2H), 7.17-7.15 (m, 1H), 7.13-7.08 (m, 3H), 7.04-7.01 (m, 2H), 7.00-6.95 (m, 4H), 6.68 (d, *J* = 8.0 Hz, 2H), 6.46 (s, 1H), 5.71-5.66 (m, 1H), 3.88 (s, 3H), 3.60-3.55 (m, 1H), 3.48-3.35 (m, 3H), 3.33-3.29 (m, 1H), 3.28-3.23 (m, 1H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 177.1, 164.7, 164.4, 162.2, 151.6, 140.4, 140.1, 133.6, 133.4, 129.8, 129.6, 128.6, 128.5, 128.3, 128.0, 127.7, 126.9, 126.8, 125.0, 124.8, 120.6, 114.4, 105.6, 81.2, 78.8, 60.8, 55.3, 41.5, 39.6, 39.2, 28.3. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{41}H_{39}N_2O_7$) requires m/z 671.2745, found m/z 671.2743. The enantiomeric excess was determined to be 86% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 98:2, 1.0 mL/min]: 9.4 min (minor), 13.7 min (major). $[\alpha]^{22}_{D}$ = +184.4 (c = 1.00, CH_2Cl_2).

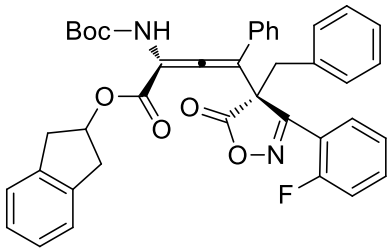
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(4-fluorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fn)



Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow oil, 23.8 mg, 72% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.28-8.24 (m, 2H), 7.55-7.36 (m, 2H), 7.29-7.27 (m, 1H), 7.25-7.23 (m, 2H), 7.18-7.14 (m, 3H), 7.13-7.09 (m, 2H), 7.06-7.03 (m, 2H), 6.97-6.95 (m, 2H), 6.68-6.66 (m, 2H), 6.50 (s, 1H), 5.71-5.67 (m, 1H), 3.59-3.54 (m, 1H), 3.48-3.44 (m, 2H), 3.42-3.33 (m, 2H), 3.28-3.23 (m, 1H), 1.59 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.5, 176.9, 164.8 (d, *J* = 252.0 Hz), 164.2, 151.7, 140.3, 140.0, 133.9, 133.4, 133.2, 131.9, 130.2 (d, *J* = 9.0 Hz), 129.7, 128.8, 128.7, 128.6, 128.4, 127.9, 127.8, 127.1, 126.8 (d, *J* = 11.0 Hz), 124.9 (d, *J* = 18.0 Hz), 124.8, 124.4 (d, *J* = 4.0 Hz), 116.3 (d, *J* = 21.0 Hz), 114.1, 105.8, 81.4, 78.9, 60.6, 41.4, 39.6, 39.2, 28.4. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_2O_6FNa$) requires m/z 681.2371, found m/z 681.2371. The enantiomeric excess was determined to be 88% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 98:2, 1.2 mL/min]: 6.0 min (minor) , 7.2 min (major). $[\alpha]^{22}_{D}$ = +109.51 (c = 1.00, CH_2Cl_2).

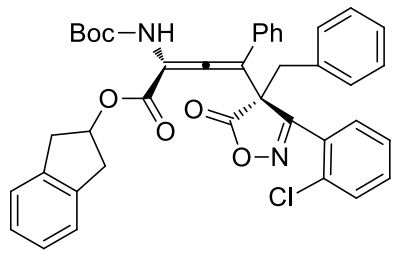
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(2-fluorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3f

o)



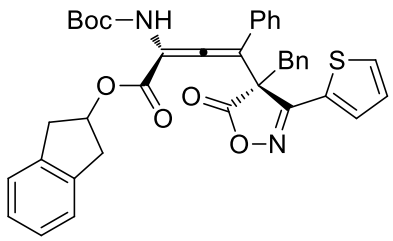
Eluent for flash column chromatography: petroleumether/ethyl acetate = 20:1. White solid, 29.6 mg, 90% yield. mp 182.8-184.2 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.74-8.70 (m, 1H), 7.54-7.49 (m, 1H), 7.40-7.35 (m, 2H), 7.29-7.27 (m, 1H), 7.25-7.22 (m, 2H), 7.18-7.10 (m, 5H), 7.07-7.03 (m, 2H), 7.00-6.98 (m, 2H), 6.67-6.65 (m, 2H), 6.47 (s, 1H), 5.71-5.66 (m, 1H), 3.59-3.54 (m, 1H), 3.47-3.43 (m, 1H), 3.43-3.40 (m, 1H), 3.38-3.32 (m, 2H), 3.27-3.22 (m, 1H), 1.57 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.5, 176.3, 164.2, 162.4, 160.1 (d, *J* = 261.0 Hz), 151.6, 140.3, 140.0, 133.3, 133.2, 133.1, 131.4, 129.5, 128.7, 128.6, 128.5, 127.9, 127.8, 127.0, 126.8 (d, *J* = 13.0 Hz), 124.9 (d, *J* = 21.0 Hz), 124.8 (d, *J* = 4.0 Hz), 124.7, 117.0 (d, *J* = 21.0 Hz), 116.3 (d, *J* = 10.0 Hz), 114.2, 105.8, 81.3, 78.9, 61.0, 41.2, 39.6, 39.1, 28.4. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_2O_6FNa$) requires m/z 681.2363, found m/z 681.2363. The enantiomeric excess was determined to be 94% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 0.8 mL/min]: 12.0 min (minor), 13.2 min (major). $[\alpha]^{22}_{D}$ = +54.13 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(2-chlorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fp)



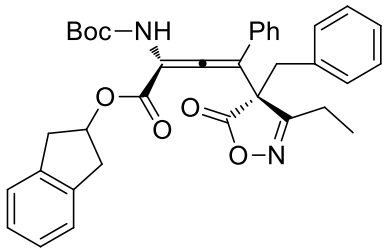
Eluent for flash column chromatography: petroleumether/ethyl acetate = 20:1. Yellow oil, 27.2 mg, 81% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.79-8.77 (m, 1H), 7.55-7.49 (m, 2H), 7.46-7.42 (m, 1H), 7.38-7.36 (m, 1H), 7.30-7.27 (m, 2H), 7.25-7.24 (m, 1H), 7.21-7.16 (m, 2H), 7.15-7.11 (m, 2H), 7.07-7.05 (m, 1H), 7.04-7.00 (m, 3H), 6.63-6.61 (m, 2H), 6.47 (s, 1H), 5.71-5.67 (m, 1H), 3.60-3.56(m, 1H), 3.47-3.42 (m, 1H), 3.41-3.34 (m, 1H), 3.31-3.23 (m, 3H), 1.56 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.4, 176.2, 164.3, 163.2, 151.5, 140.4, 140.0, 133.7, 133.1, 132.3, 132.0, 131.8, 129.5, 128.8, 128.7, 128.6, 128.0, 127.7, 127.5, 127.0, 126.8, 126.3, 125.1, 124.8, 114.5, 105.7, 81.3, 79.0, 61.5, 40.5, 39.6, 39.1, 28.4. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{40}H_{35}N_2O_6ClNa$) requires m/z 697.2069 and 699.2048(^{37}Cl), found m/z 697.2069 and 699.2048(^{37}Cl). The enantiomeric excess was determined to be 87% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 90:10, 1.0 mL/min]: 5.7 min (minor), 9.2 min (major). $[\alpha]^{22}_{D}$ = -84.10 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-5-oxo-3-(thiophen-2-yl)-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fq)



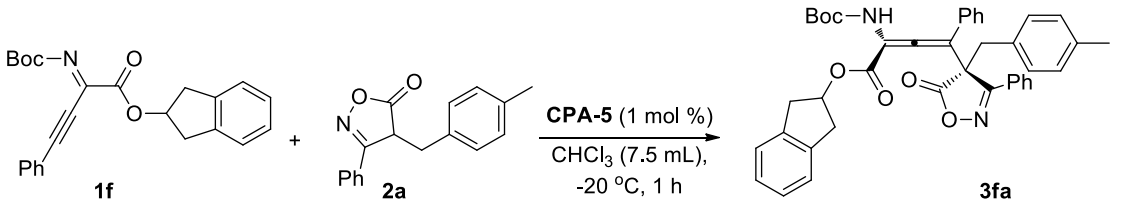
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. White solid, 22.4 mg, 69% yield. mp 176.1-178.5 ^{o}C. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 8.57-8.56 (m, 1H), 7.50 (d, *J* = 4.0 Hz, 1H), 7.37-7.35 (m, 1H), 7.27-7.23 (m, 3H), 7.19-7.16 (m, 2H), 7.15-7.13 (m, 2H), 7.07-7.03 (m, 2H), 6.98-6.96 (m, 2H), 6.73 (d, *J* = 4.0 Hz, 2H), 6.45 (s, 1H), 5.70-5.66 (m, 1H), 3.56-3.49 (m, 2H), 3.47-3.43 (m, 1H), 3.41-3.36 (m, 1H), 3.35-3.30 (m, 1H), 3.27-3.22 (m, 1H), 1.56 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 202.8, 176.5, 164.2, 161.6, 151.6, 140.3, 140.1, 133.4, 133.1, 133.0, 130.3, 130.0, 129.7, 128.7, 128.5, 128.4, 128.2, 127.9, 127.8, 126.9, 126.8, 125.0, 124.8, 113.9, 105.7, 81.4, 78.8, 61.2, 41.9, 39.6, 39.2, 28.3. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{38}H_{34}N_2O_6SNa$) requires m/z 669.2030, found m/z 669.2021. The enantiomeric excess was determined to be 76% by HPLC. [IA column, 254 nm, *n*-hexane:IPA = 95:5, 1.0 mL/min]: 6.9 min (minor), 8.2 min (major). $[\alpha]^{22}_D$ = +68.7 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-ethyl-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fr)



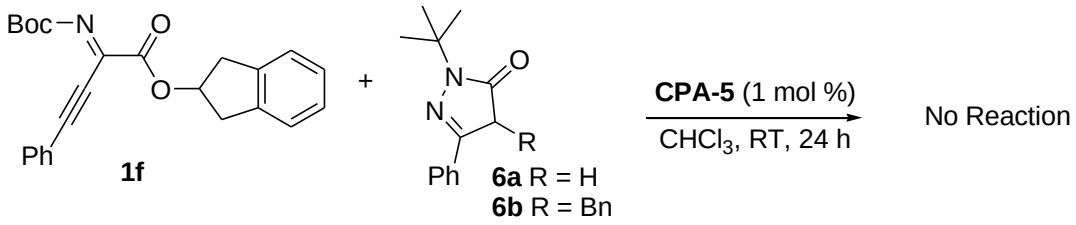
Eluent for flash column chromatography: petroleumether/ethyl acetate = 24:1. Yellow oil, 23.6 mg, 80% yield. ^{1}H NMR ($CDCl_3$, 400 MHz): δ (ppm) 7.29-7.27 (m, 2H), 7.25-7.23 (m, 4H), 7.22-7.21 (m, 2H), 7.19-7.17 (m, 2H), 7.07-7.05 (m, 2H), 6.97-6.95 (m, 2H), 6.31 (s, 1H), 5.65-5.61 (m, 1H), 3.43-3.36 (m, 2H), 3.34-3.33 (m, 1H), 3.28-3.22 (m, 1H), 3.19-3.10 (m, 2H), 2.70-2.61 (m, 1H), 2.57-2.51 (m, 1H), 1.50 (s, 9H), 1.10 (t, *J* = 8.0 Hz, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ (ppm) 203.8, 177.5, 171.2, 164.0, 151.4, 140.0, 139.9, 133.6, 133.4, 129.5, 128.8, 128.7, 128.6, 127.9, 127.5, 127.0, 126.9, 124.9, 124.7, 113.0, 105.8, 81.1, 78.5, 61.1, 40.4, 39.4, 39.3, 28.2, 21.4, 8.5. HRMS (ESI): exact mass calculated for $[M+Na]^+$ ($C_{36}H_{36}N_2O_6Na$) requires m/z 615.2466, found m/z 615.2465. The enantiomeric excess was determined to be 58% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 98:2, 1.0 mL/min]: 8.9 min (minor), 11.5 min (major). $[\alpha]^{22}_D$ = -2.13 (c = 1.00, CH_2Cl_2).

E: Large Scale Reaction



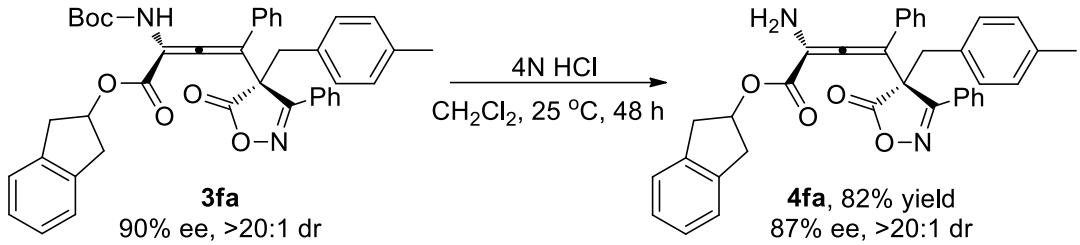
To a solution of $CHCl_3$ (7.5 mL) were added β,γ-alkynyl-α-imino ester **1f** (486.3 mg, 1.25 mmol), isoxazolinone **2a** (397.5 mg, 1.50 mmol) and catalyst **CPA-5** (9.4 mg, 0.0125 mmol). The reaction mixture was stirred at -20 ^{o}C for 1 h and then the solvent was removed under vacuum. The residue was purified by silica gel chromatography to yield the desired product **3fa** as a yellow oil (668.9 mg, 82% yield, 88% ee, >20:1 dr).

F: Limitation of the Reaction



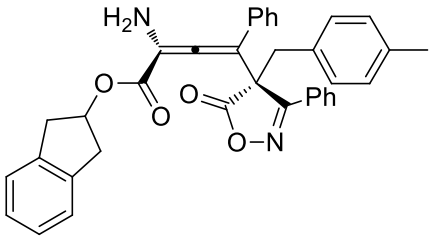
To a solution of $CHCl_3$ (0.3 mL) were added β,γ-alkynyl-α-imino ester **1f** (0.05 mmol), pyrazolone **6** (0.06 mmol) and catalyst **CPA-5** (0.005 mmol). The reaction mixture was stirred at room temperature for 24 h. However, no desired product was obtained.

G: Synthetic Transformations



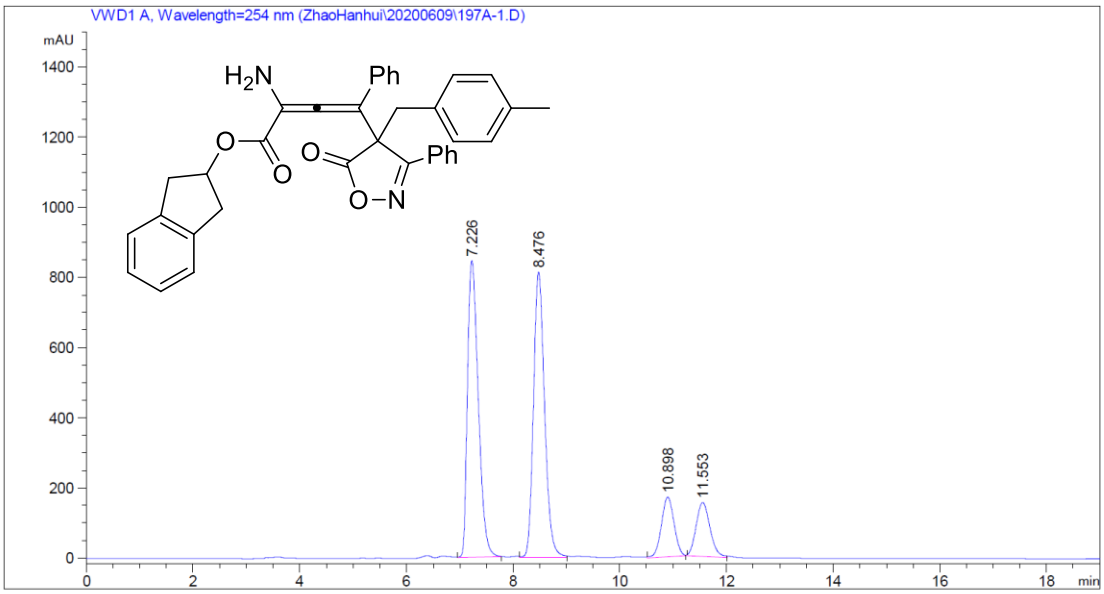
To a solution of compound **3fa** (32.7mg, 0.05 mmol) in CH_2Cl_2 (1.5 mL) was added 4N HCl (2.1 mL, 8.3 mmol). The reaction mixture was stirred at 25 ^oC for 48 h. Then the solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography using petroleum ether/ethyl acetate mixtures to afford **4fa** as a yellow oil in 82% yield with 87% ee, >20:1 dr.

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-amino-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl -4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (4fa)

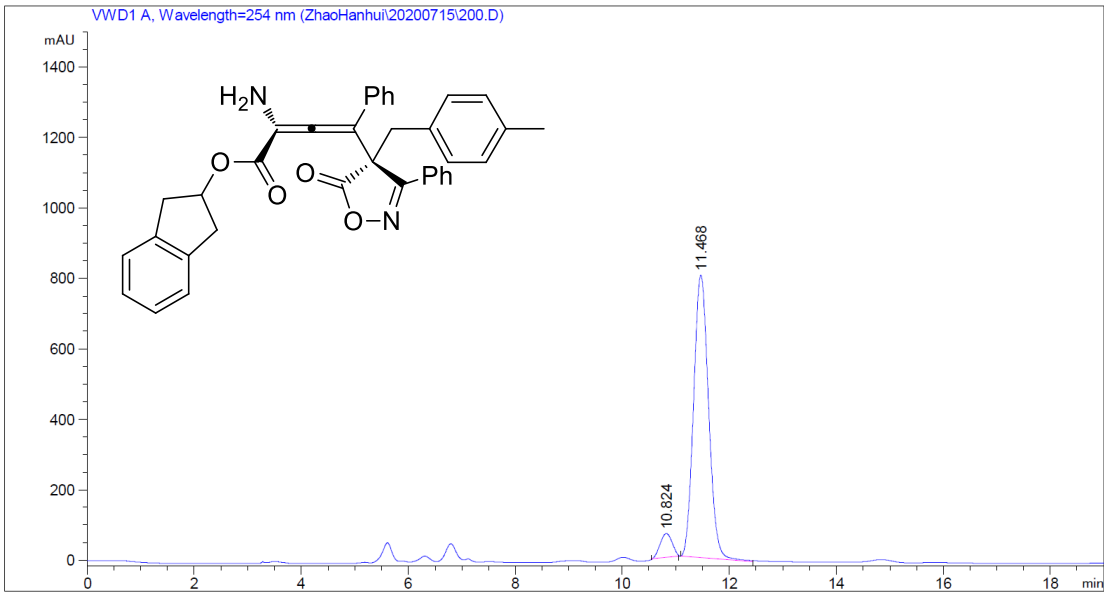


Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow oil, 22.7 mg, 82% yield. ^1H NMR ($(CD_3)_2SO$, 400 MHz): δ (ppm) 7.85-7.83 (m, 2H), 7.69-7.65 (m, 1H), 7.59-7.55 (m, 2H), 7.37-7.33 (m, 1H), 7.28-7.20 (m, 6H), 7.17-7.15 (m, 2H), 6.97 (d, *J* = 8.0 Hz, 2H), 6.84 (d, *J* = 8.0 Hz, 2H), 6.65 (d, *J* = 8.0 Hz, 2H), 5.11-5.07 (m, 1H), 3.82 (d, *J* = 12.0 Hz, 1H), 3.58 (d, *J* = 12.0 Hz, 1H), 3.22-3.19 (m, 1H), 3.18-3.15 (m, 1H), 2.76-2.75 (m, 1H), 2.72-2.71 (m, 1H), 2.19 (s, 3H). ^{13}C NMR ($(CD_3)_2SO$, 100 MHz): δ (ppm) 184.8, 177.3, 164.9, 161.5, 150.8, 140.4, 137.6, 134.8, 133.1, 130.1, 130.0, 130.0, 129.8, 129.5, 128.9, 128.8, 128.5, 127.7, 127.2, 127.1, 125.0, 78.0, 64.0, 39.1, 38.8, 21.0. HRMS (ESI): exact mass calculated for $[M+H]^+$ ($C_{36}H_{31}N_2O_4$) requires m/z 555.2278, found m/z 555.2275. The enantiomeric excess was determined to be 87% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 10.8 min (minor), 11.5 min (major). $[\alpha]^{22}_D$ = +262.0 (c = 1.00, CH_2Cl_2).

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-amino-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (4fa)

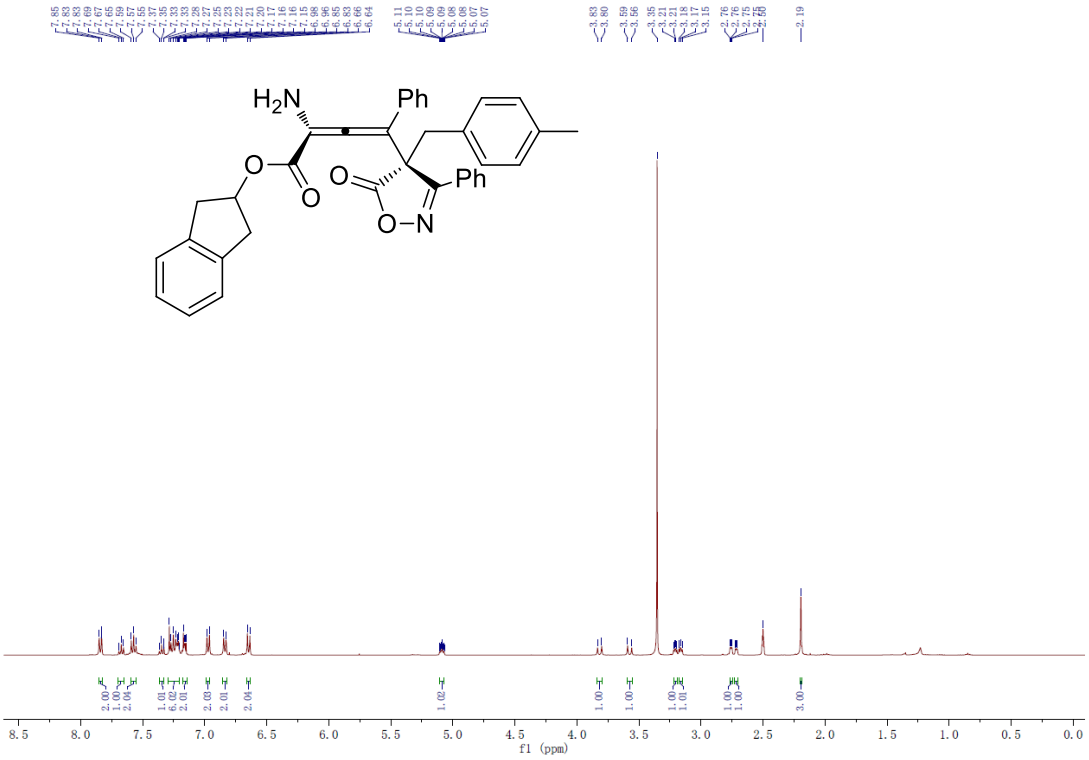


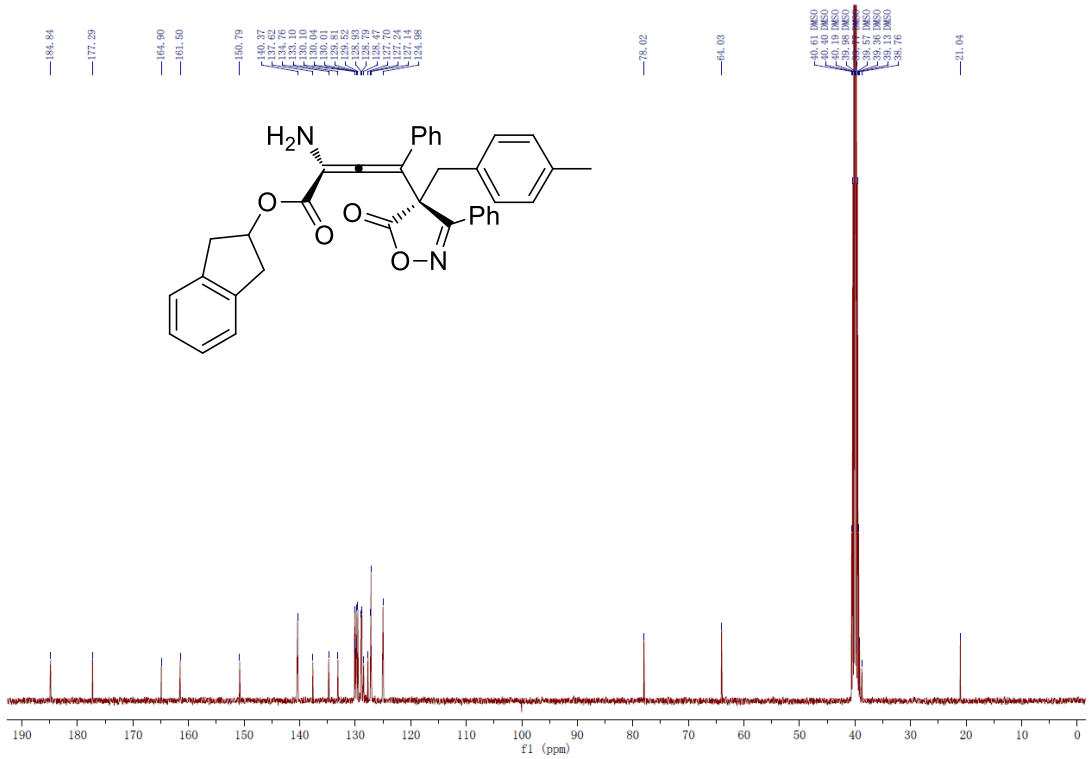
#	Time	Area	Height	Width	Symmetry	Area %
1	7.226	11839.7	845.3	0.2334	0.649	40.537
2	8.476	11763.3	813.4	0.241	0.805	40.276
3	10.898	2820.2	170.7	0.2753	0.987	9.656
4	11.553	2783.8	154.1	0.301	0.856	9.531

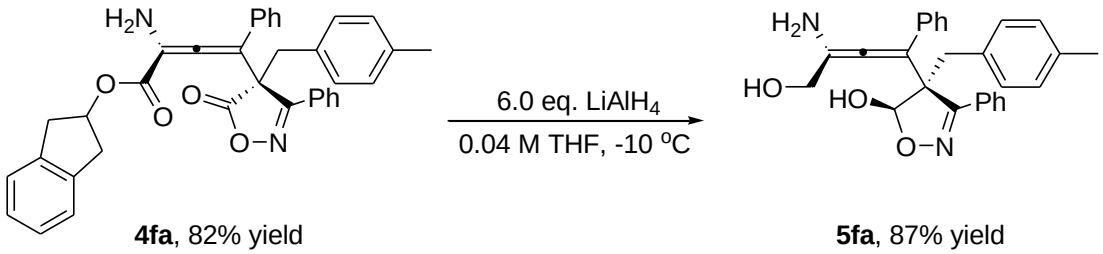


#	Time	Area	Height	Width	Symmetry	Area %
1	10.824	1059.9	67.9	0.26	1.051	6.364
2	11.468	15594.1	802.8	0.3238	0.883	93.636

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-amino-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (4fa)





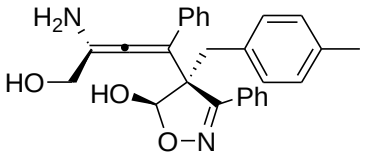


4fa, 82% yield
87% ee, >20:1 dr

5fa, 87% yield
86% ee, >20:1 dr

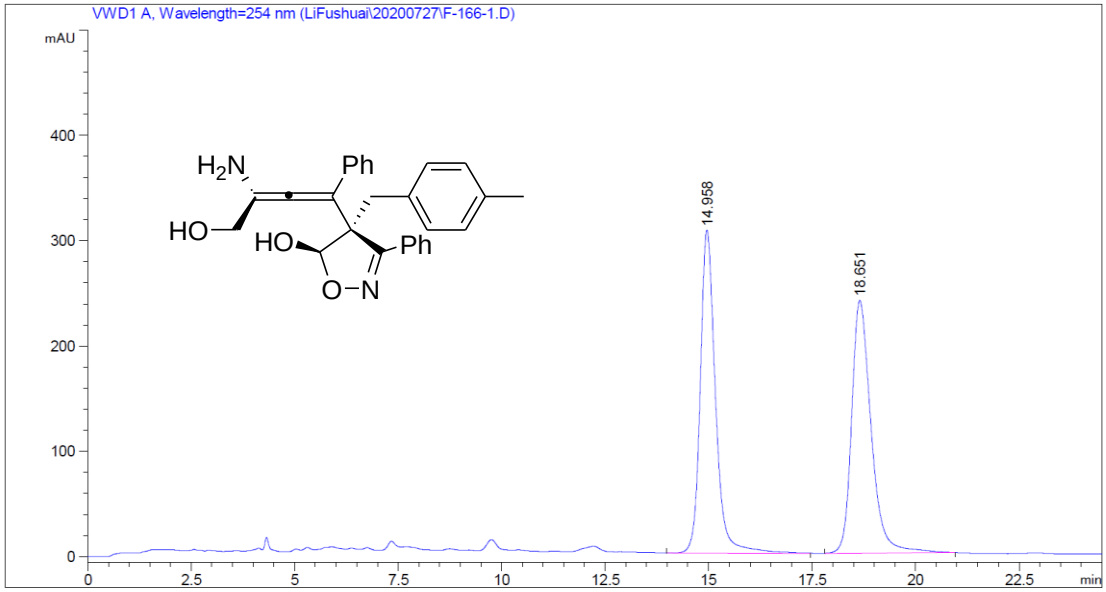
To a solution of **4fa** (22.3 mg, 0.04 mmol) in anhydrous THF (1.0 mL) was added $LiAlH_4$ (9.12 mg, 0.24 mmol) under argon atomsphere. Then the mixture was stirred for 25 min at -10 ^oC. The reaction mixture was quenched with water, extracted with EtOAc. The combined organic layers were washed with brine, dried (Na_2SO_4) and concentrated in vacuo and the residue was purified by silica gel chromatography to afford **5fa** as a white oil in 87% yield with 86% ee, >20:1 dr.

(4*S*,5*R*)-4-((*R*)-3-amino-4-hydroxy-1-phenylbuta-1,2-dien-1-yl)-4-(4-methylbenzyl)-3-phenyl-4,5-dihydroisoxazol-5-ol (5fa)

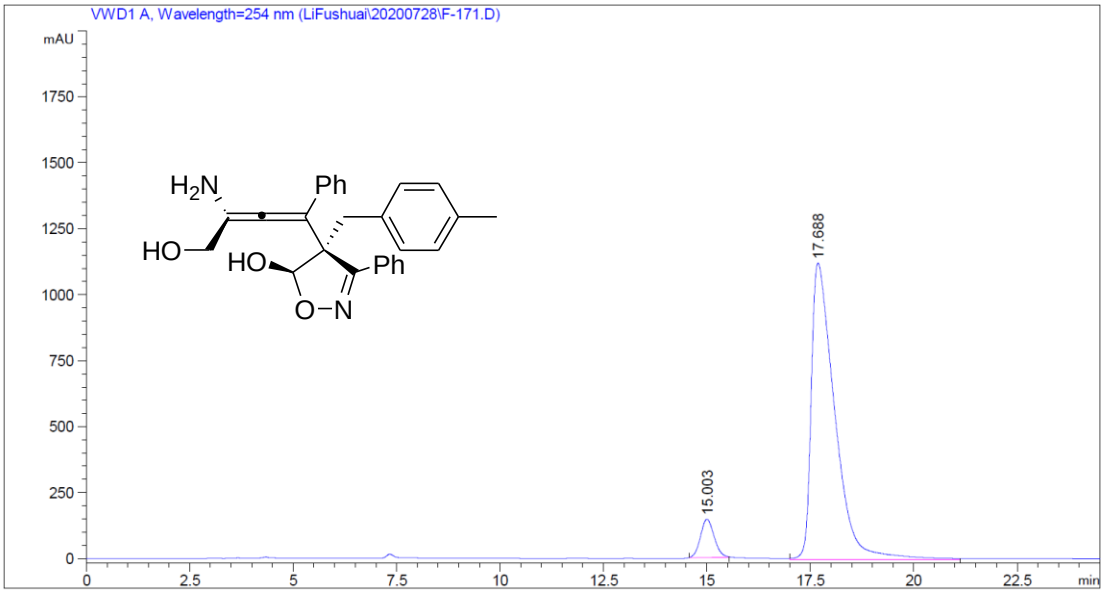


Eluent for flash column chromatography: petroleum ether/ethyl acetate = 3:1. White oil,14.9 mg, 87% yield. ^1H NMR ($(CD_3)_2SO$, 400 MHz): δ (ppm) 7.97 (s, 1H), 7.56-7.37 (m, 7H), 7.33-7.29 (m, 2H), 7.27-7.25 (m, 2H), 6.74 (d, *J* = 8.0 Hz, 2H), 6.55 (d, *J* = 8.0 Hz, 2H), 5.15-5.12 (m, 1H), 4.88 (s, 1H), 3.85-3.81 (m, 2H), 3.22 (d, *J* = 12.0 Hz, 1H), 2.76 (d, *J* = 12.0 Hz, 1H), 2.12 (s, 3H). ^{13}C NMR ($(CD_3)_2SO$, 100 MHz): δ (ppm) 169.0, 159.1, 138.9, 137.8, 135.7, 133.0, 131.1, 130.5, 129.6, 129.1, 128.8, 128.7, 128.2, 127.4, 126.2, 104.6, 95.0, 65.1, 59.4, 35.5, 21.0. HRMS (ESI): exact mass calculated for M ($C_{27}H_{25}O_3N_2$) requires m/z 425.1860, found m/z 425.1858. The enantiomeric excess was determined to be 86% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 80:20, 1.0 mL/min]: 15.0 min (minor), 17.7 min (major). $[\alpha]^{22}_D$ = +181.7 (c = 1.00, CH_2Cl_2).

(4*S*,5*R*)-4-((*R*)-3-amino-4-hydroxy-1-phenylbuta-1,2-dien-1-yl)-4-(4-methylbenzyl)-3-phenyl-4,5-dihydroisoxazol-5-ol (5fa)

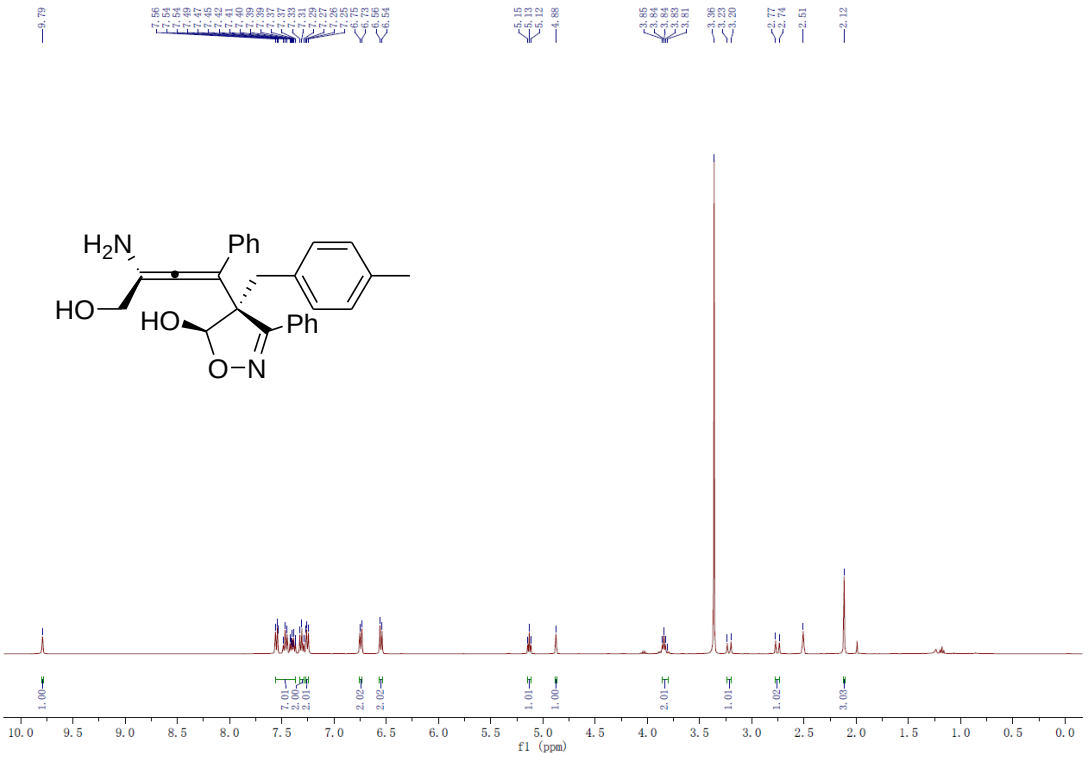


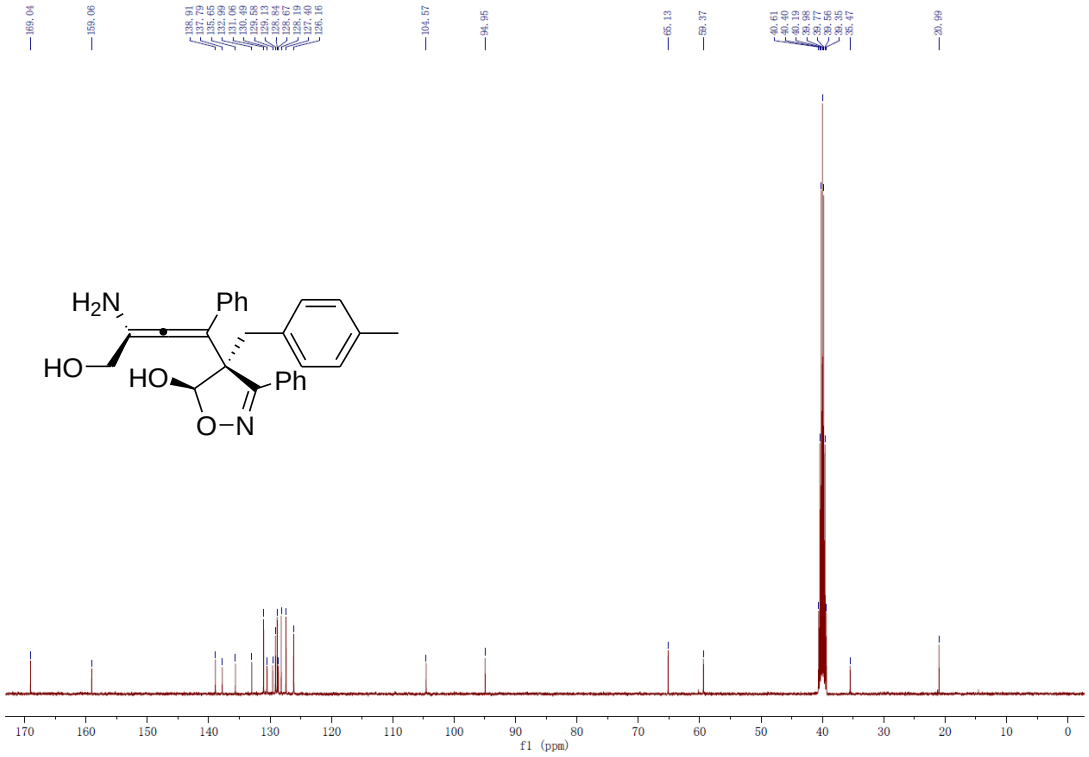
#	Time	Area	Height	Width	Symmetry	Area %
1	14.958	7895.9	306.9	0.4288	0.736	50.047
2	18.651	7881	240	0.5474	0.687	49.953

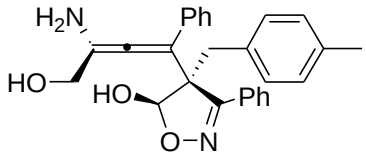


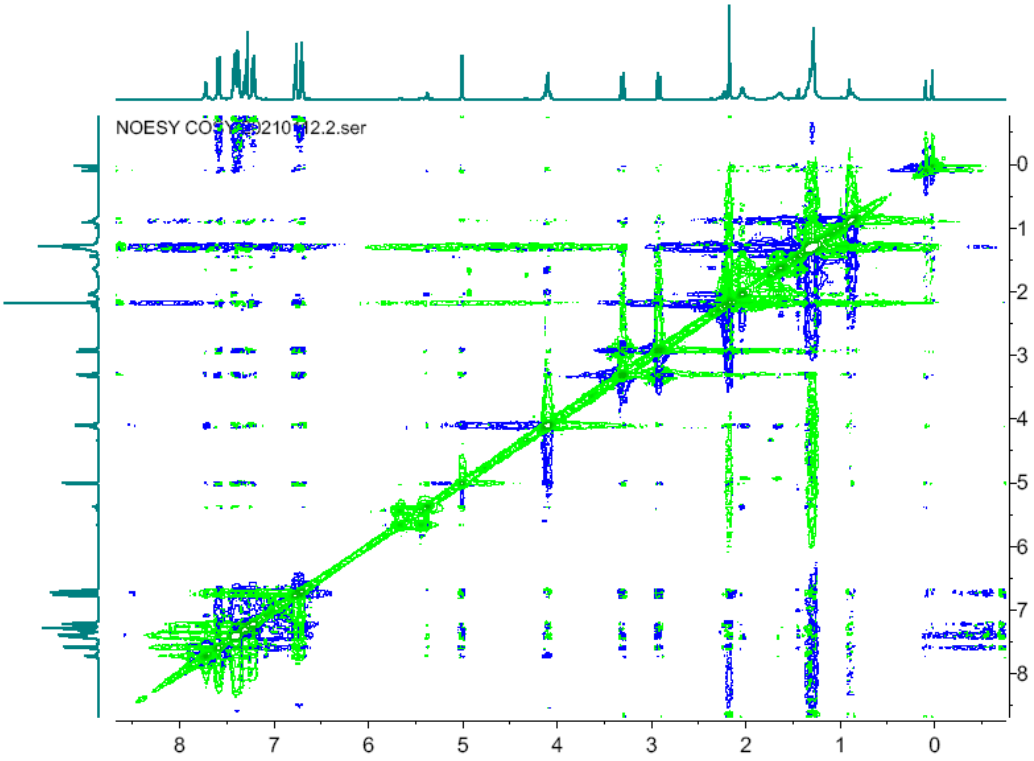
#	Time	Area	Height	Width	Symmetry	Area %
1	15.003	3343.6	145.8	0.3821	0.879	6.994
2	17.688	44464.2	1124.4	0.6591	0.39	93.006

(4*S*,5*R*)-4-((*R*)-3-amino-4-hydroxy-1-phenylbuta-1,2-dien-1-yl)-4-(4-methylbenzyl)-3-phenyl-4,5-dihydroisoxazol-5-ol (5fa)






H2N
Ph
HO
HO
Ph
O−N


NOESY COSY-20210112.2.ser
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6
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7
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3
2
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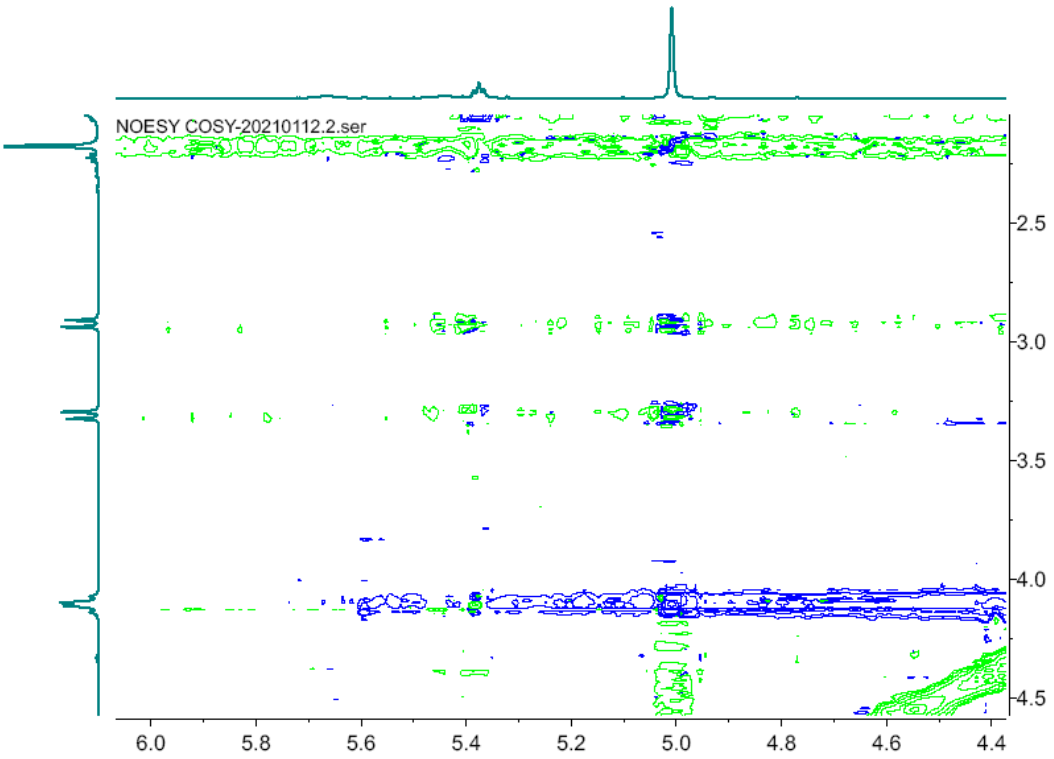
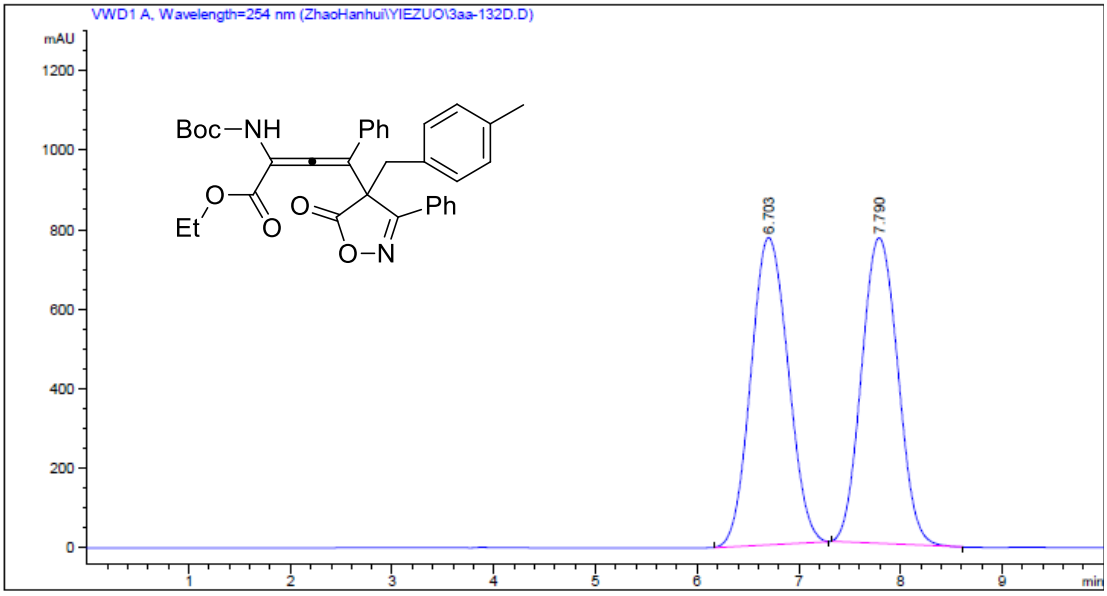
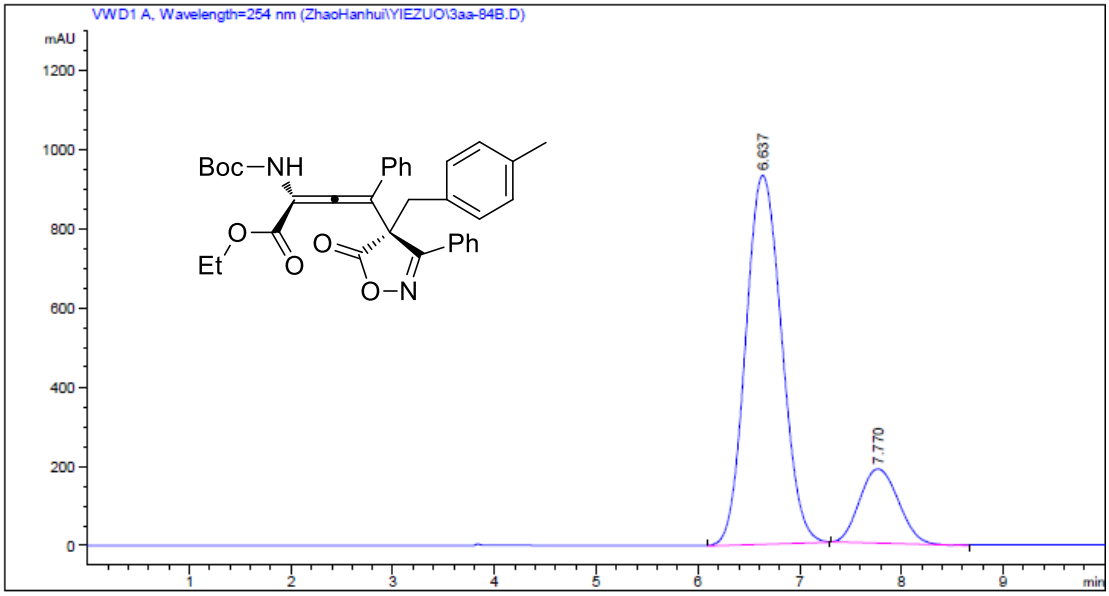

NOESY COSY-20210112.2.ser
2.5
3.0
3.5
4.0
4.5
6.0
5.8
5.6
5.4
5.2
5.0
4.8
4.6
4.4

H: HPLC Analysis

(*R*)-ethyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3aa)

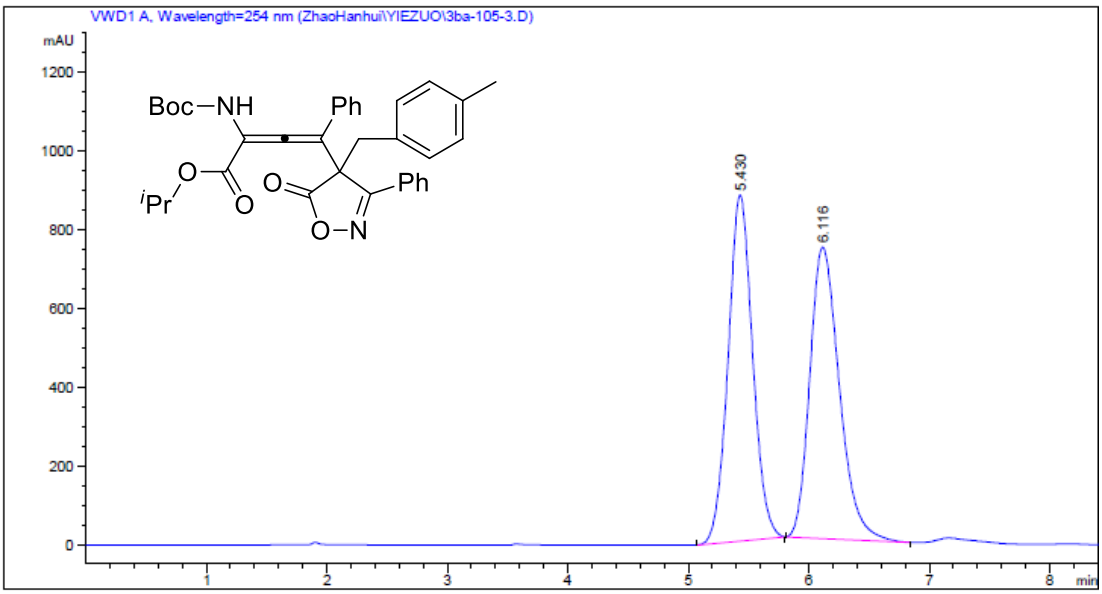


#	Time	Area	Height	Width	Symmetry	Area %
1	6.703	19698.7	772.7	0.4249	0.887	50.915
2	7.79	18990.3	767.8	0.4122	0.908	49.085

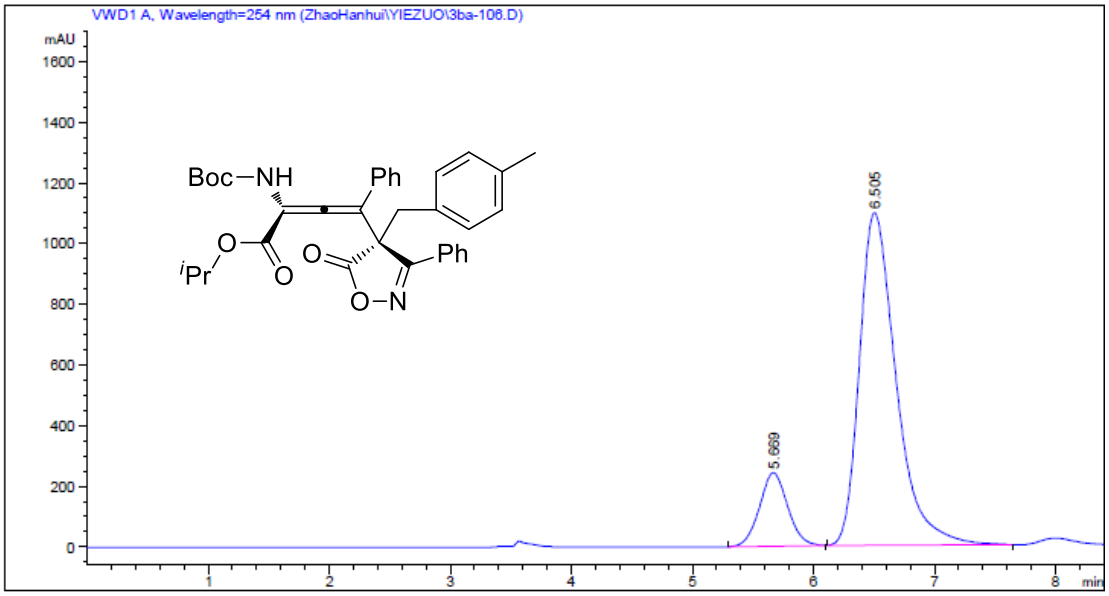


#	Time	Area	Height	Width	Symmetry	Area %
1	6.637	22791	931.3	0.4079	0.875	82.148
2	7.77	4952.9	187.4	0.4405	0.861	17.852

(*R*)-isopropyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ba)

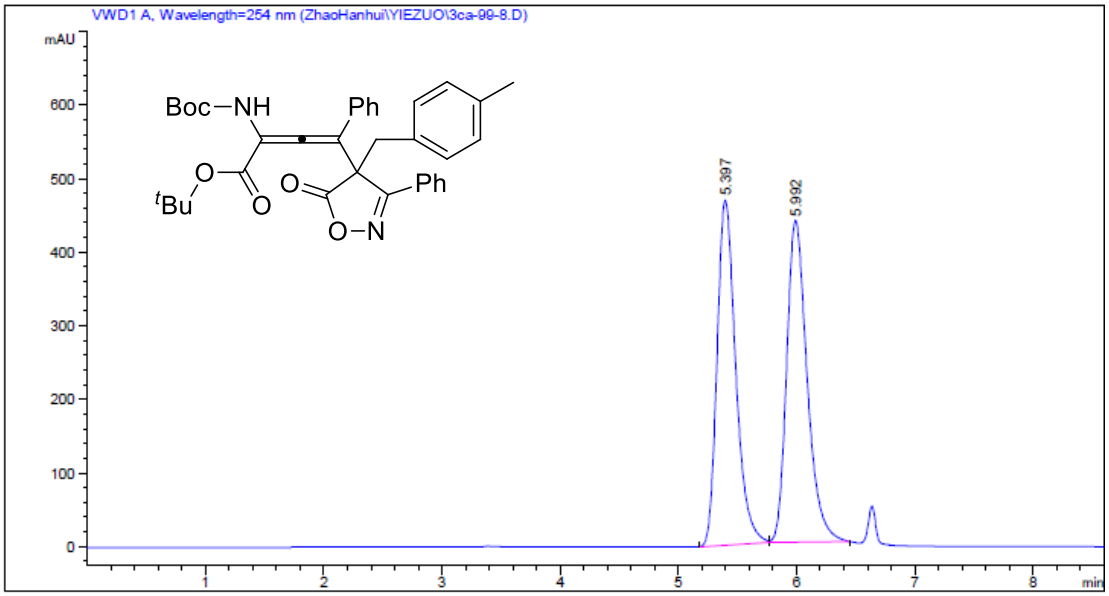


#	Time	Area	Height	Width	Symmetry	Area %
1	5.43	12425.5	878.9	0.2356	0.93	49.603
2	6.116	12624.4	740.1	0.2843	0.737	50.397

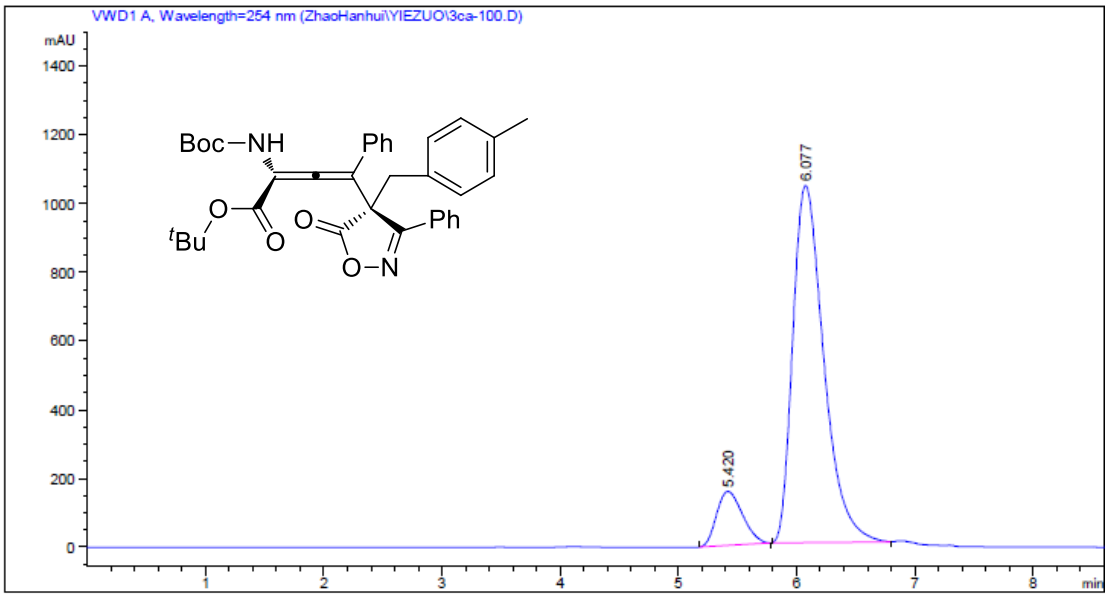


#	Time	Area	Height	Width	Symmetry	Area %
1	5.669	3932.1	242.4	0.2704	0.937	14.547
2	6.505	23098.8	1095.7	0.3514	0.664	85.453

(*R*)-*tert*-butyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ca)

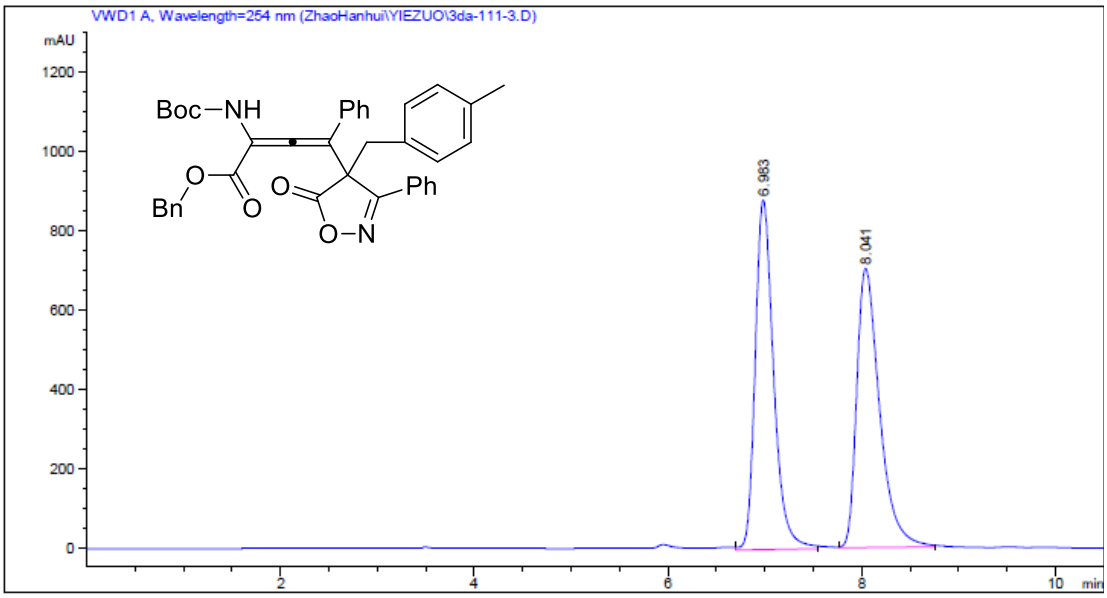


#	Time	Area	Height	Width	Symmetry	Area %
1	5.397	5140.1	468.7	0.1828	0.752	49.464
2	5.992	5251.6	436.4	0.2006	0.738	50.536

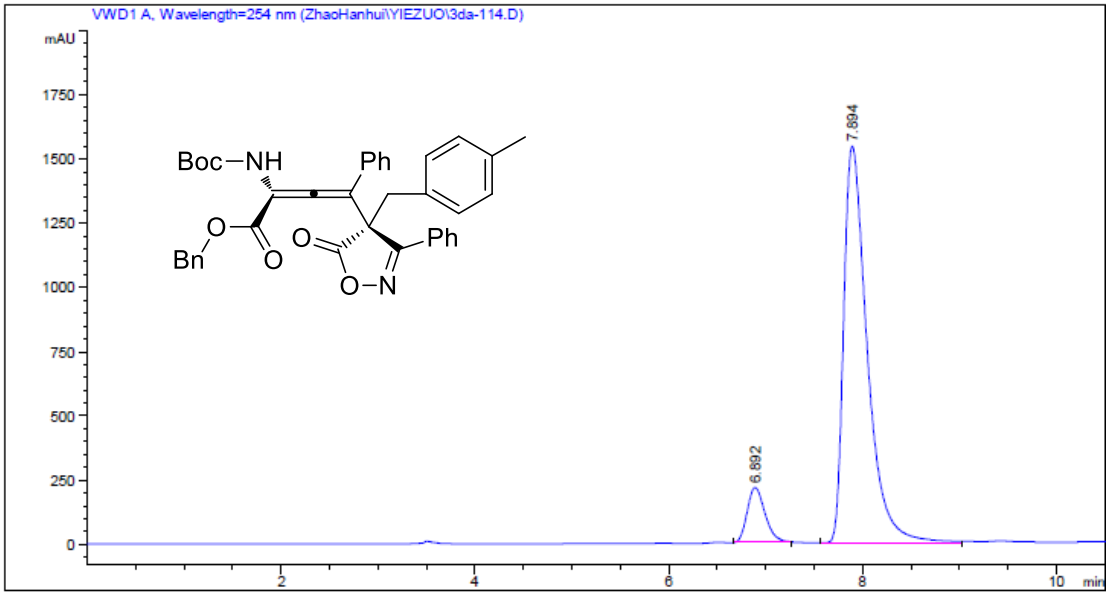


#	Time	Area	Height	Width	Symmetry	Area %
1	5.42	2400.8	157.5	0.2541	0.757	11.241
2	6.077	18956.6	1040.5	0.3036	0.671	88.759

(*R*)-benzyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3da)

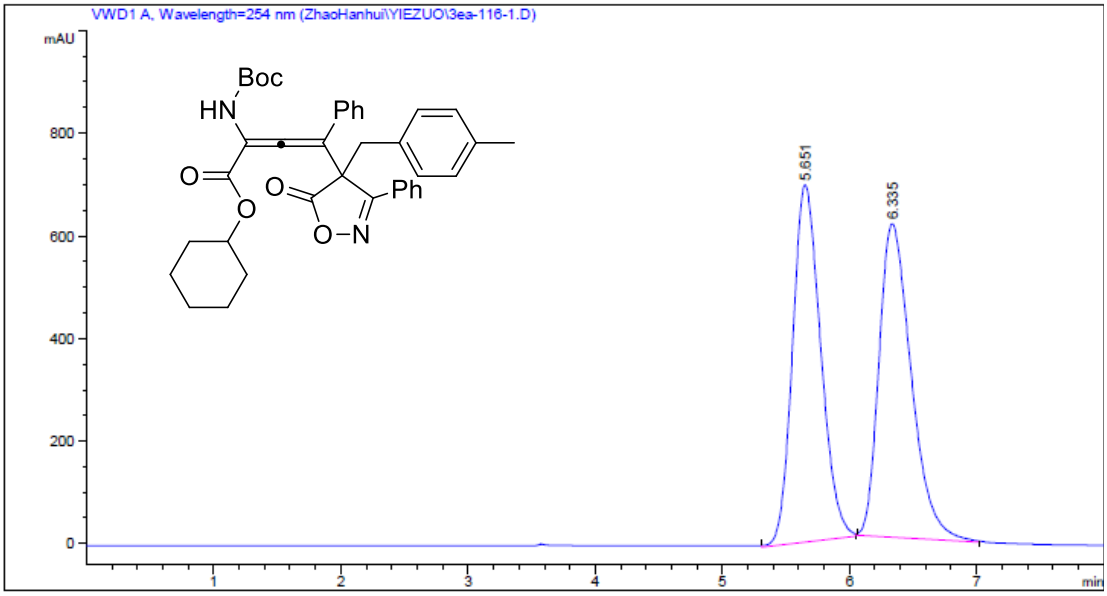


#	Time	Area	Height	Width	Symmetry	Area %
1	6.983	11621.6	880.1	0.2201	0.732	50.193
2	8.041	11532.2	702.8	0.2735	0.607	49.807

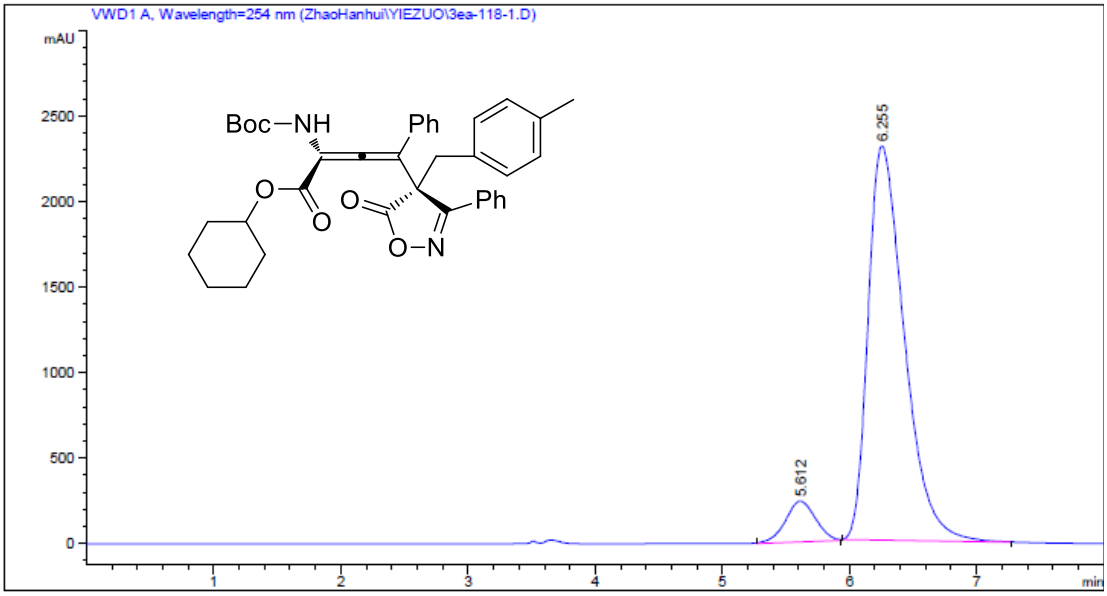


#	Time	Area	Height	Width	Symmetry	Area %
1	6.892	2730.4	213.5	0.2131	0.764	9.497
2	7.894	26018.4	1544.5	0.2808	0.543	90.503

(*R*)-cyclohexyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ea)

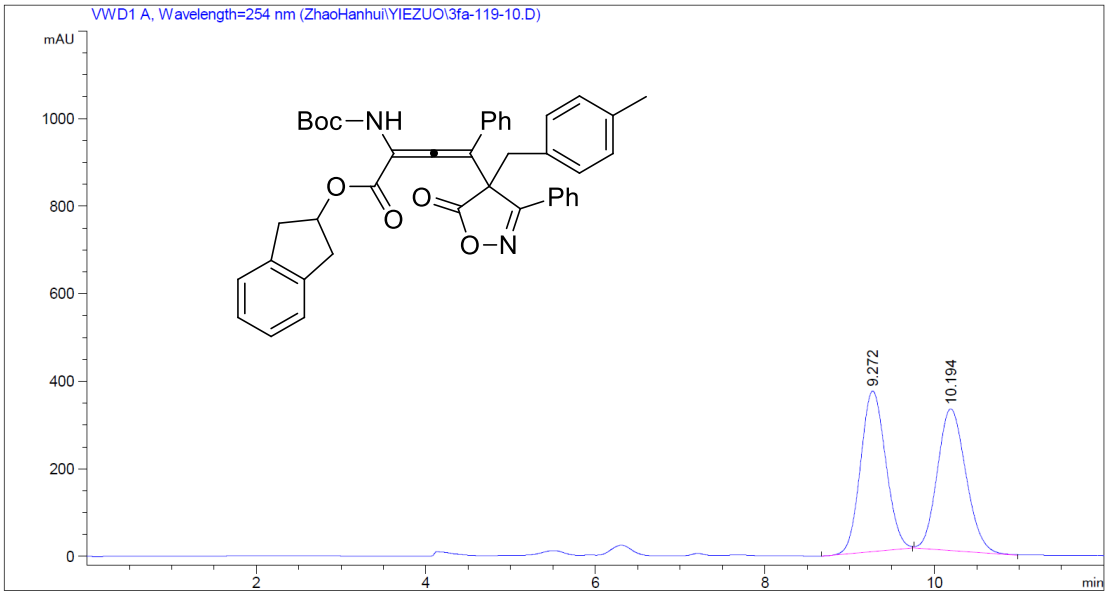


#	Time	Area	Height	Width	Symmetry	Area %
1	5.651	10686.8	696.2	0.2559	0.785	49.827
2	6.335	10761.2	610.7	0.2937	0.662	50.173

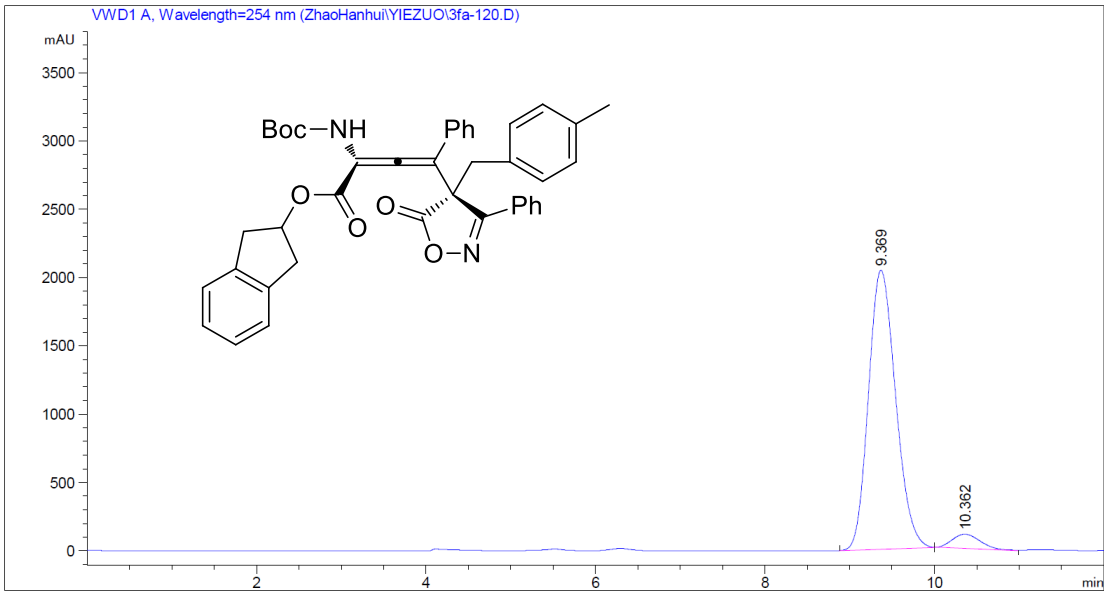


#	Time	Area	Height	Width	Symmetry	Area %
1	5.612	3975.5	239.4	0.2768	0.955	8.017
2	6.255	45614.5	2304.5	0.3299	0.595	91.983

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fa)

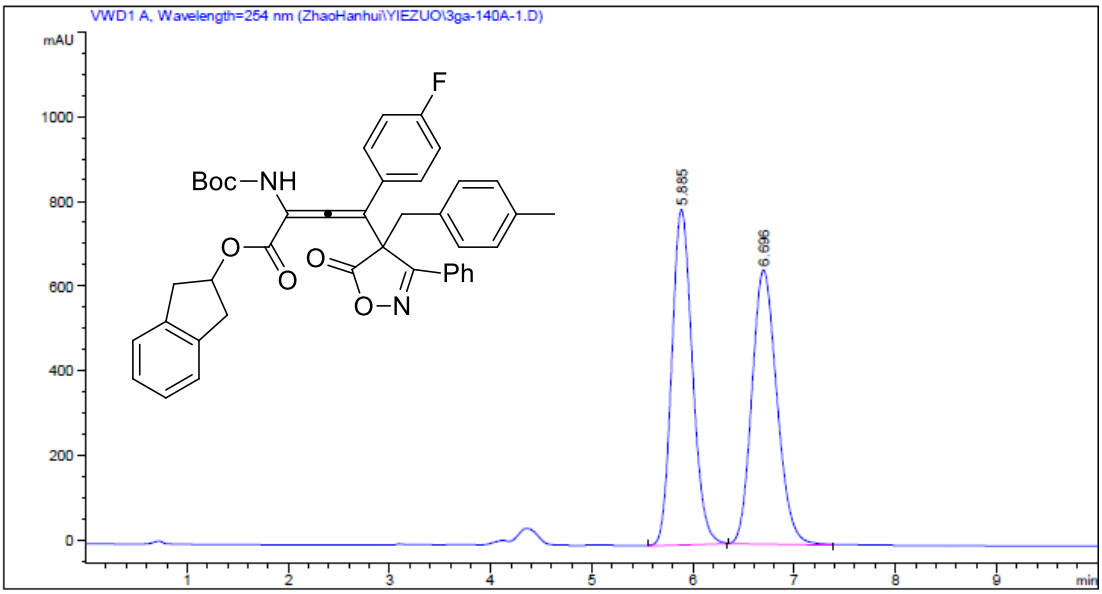


#	Time	Area	Height	Width	Symmetry	Area %
1	9.272	7575.5	366.9	0.3441	0.898	50.085
2	10.194	7549.8	323.6	0.3889	0.844	49.915

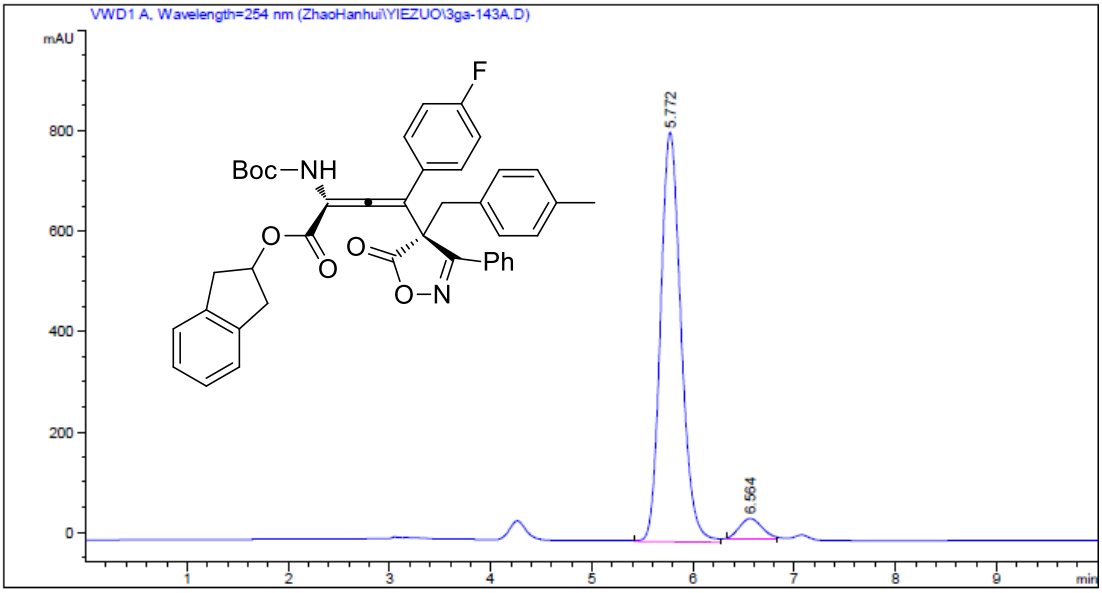


#	Time	Area	Height	Width	Symmetry	Area %
1	9.369	45483.6	2041.6	0.3713	0.822	94.978
2	10.362	2405.1	104.6	0.3833	0.768	5.022

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-fluorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ga)

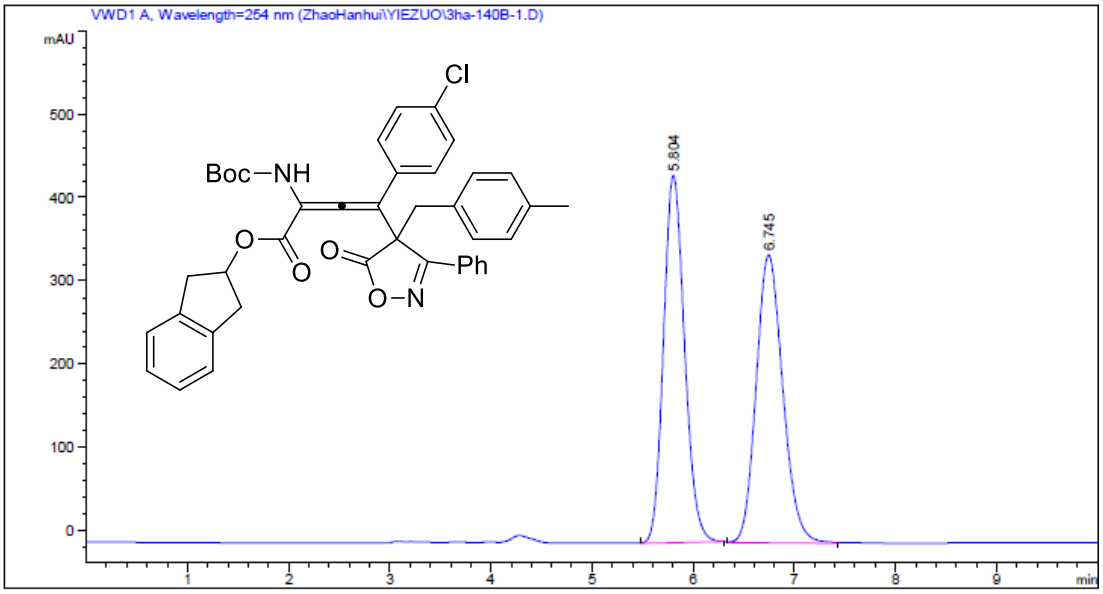


#	Time	Area	Height	Width	Symmetry	Area %
1	5.885	11206.3	792	0.2358	0.844	50.148
2	6.696	11139.9	647.8	0.2866	0.828	49.852

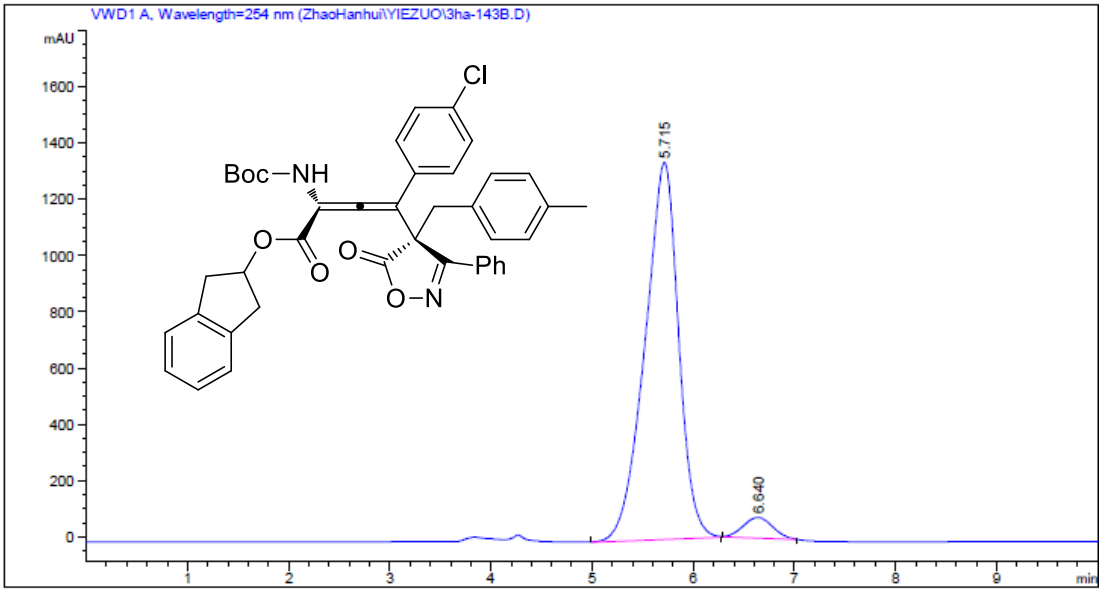


#	Time	Area	Height	Width	Symmetry	Area %
1	5.772	11496.7	816.4	0.2347	0.827	94.952
2	6.564	611.2	39.8	0.2559	0.874	5.048

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-chlorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ha)

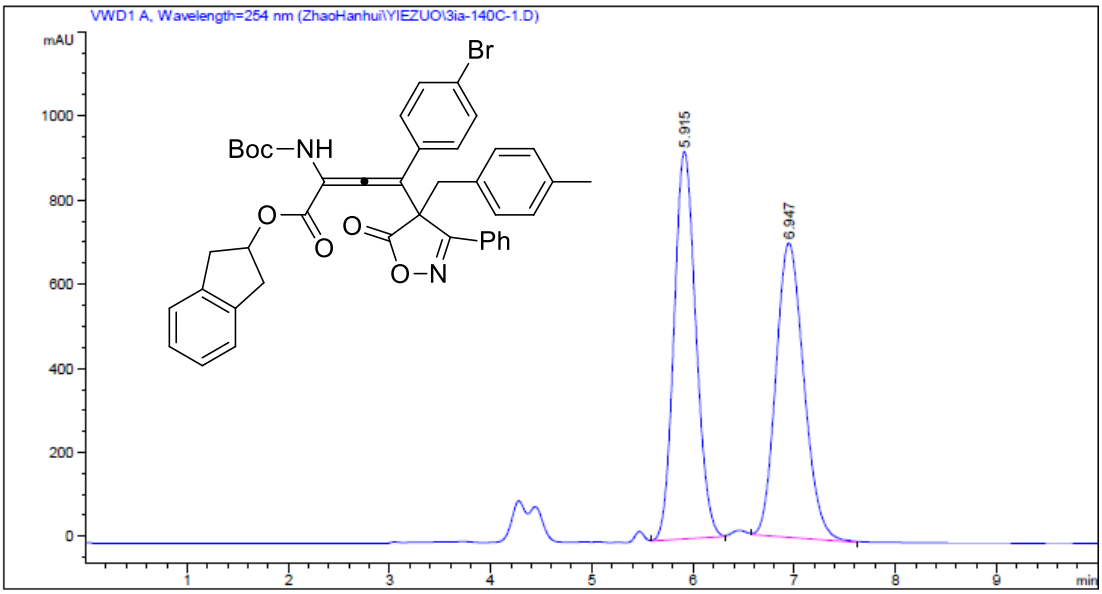


#	Time	Area	Height	Width	Symmetry	Area %
1	5.804	6357.9	440.9	0.2403	0.851	50.084
2	6.745	6336.6	345.7	0.3055	0.835	49.916

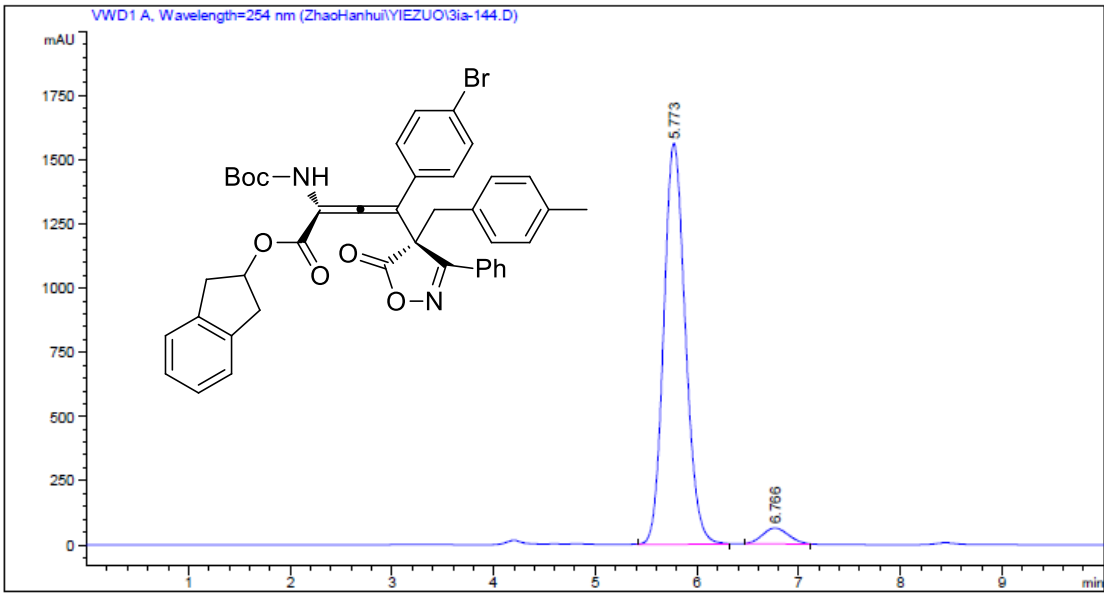


#	Time	Area	Height	Width	Symmetry	Area %
1	5.715	30938.3	1339.6	0.3849	1.324	95.423
2	6.64	1484	73.4	0.3371	0.928	4.577

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-(4-bromophenyl)-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ia)

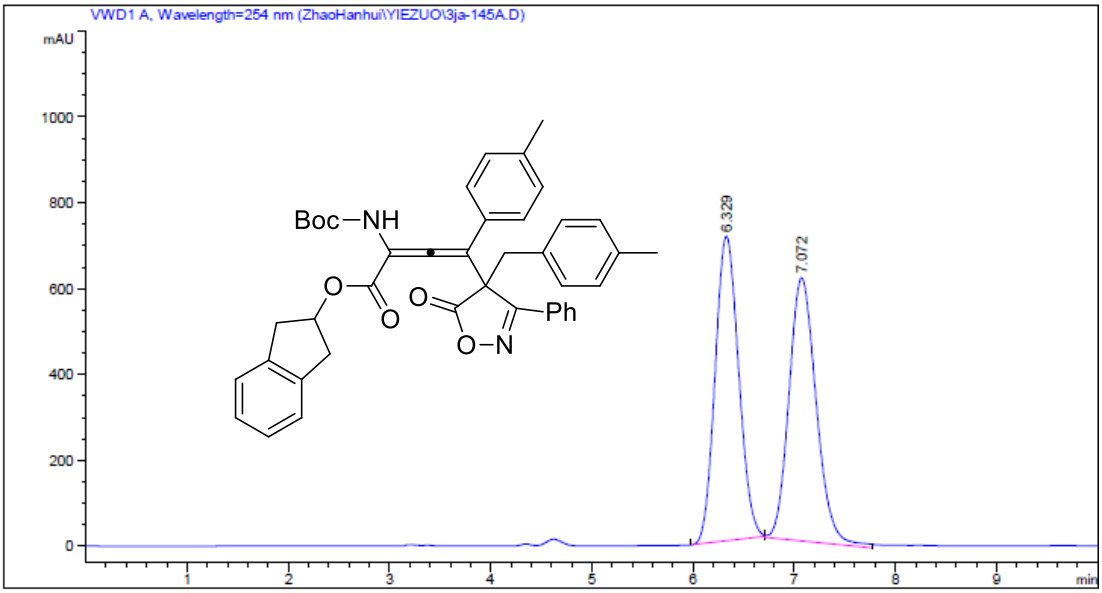


#	Time	Area	Height	Width	Symmetry	Area %
1	5.915	13797.6	920.7	0.2498	0.871	50.667
2	6.947	13434.4	700.2	0.3198	0.825	49.333

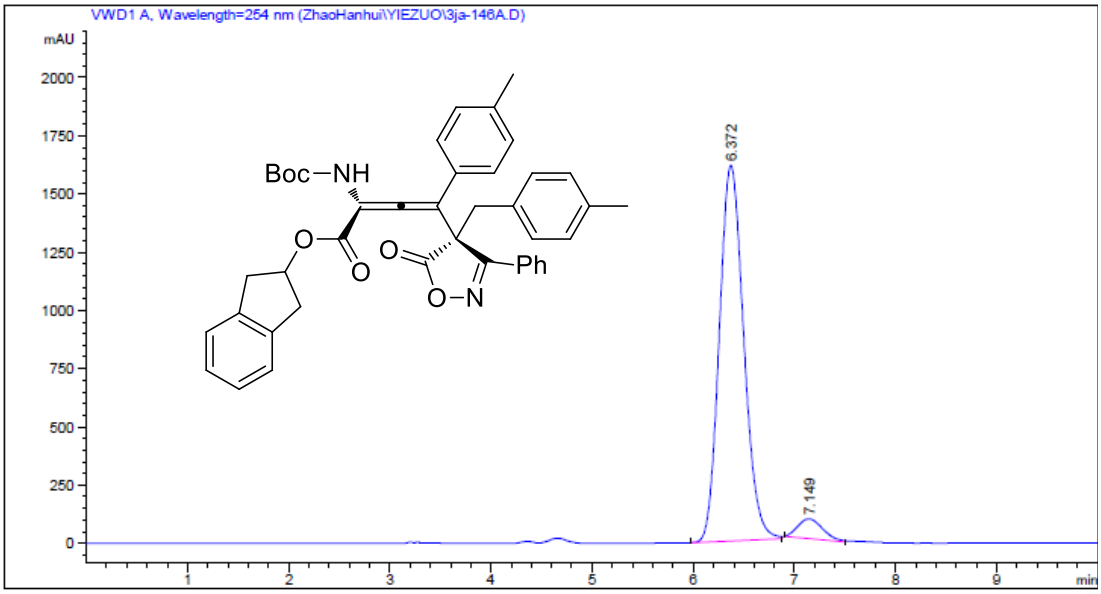


#	Time	Area	Height	Width	Symmetry	Area %
1	5.773	23045.3	1561.6	0.246	0.852	95.392
2	6.766	1113.2	62.1	0.2986	0.89	4.608

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(*p*-tolyl)buta-2,3-dienoate (3ja)

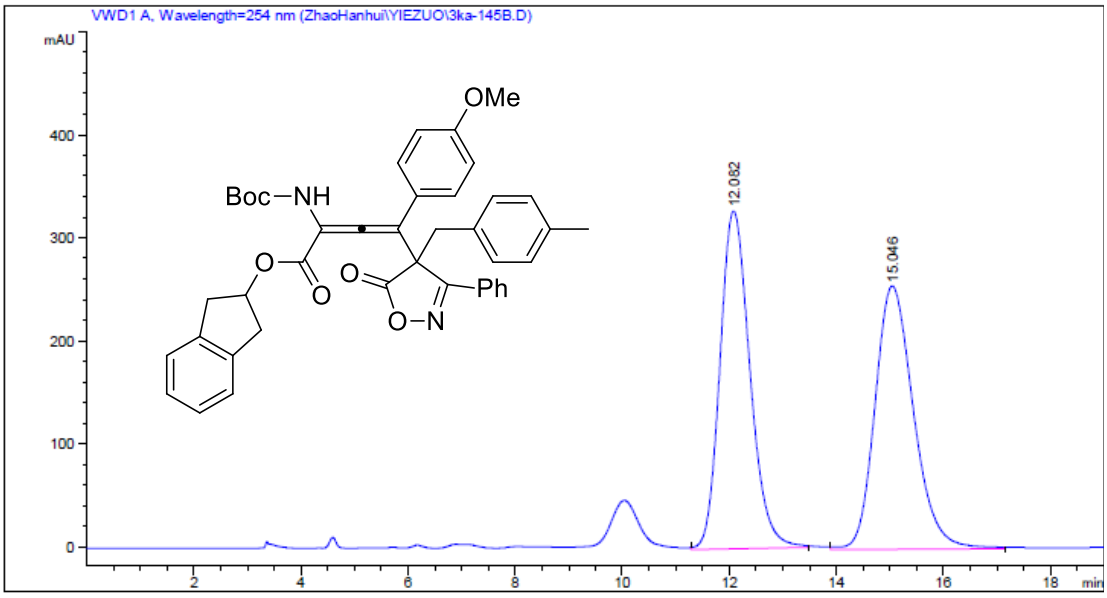


#	Time	Area	Height	Width	Symmetry	Area %
1	6.329	11415	708.9	0.2684	0.88	49.905
2	7.072	11458.3	612.3	0.3119	0.821	50.095

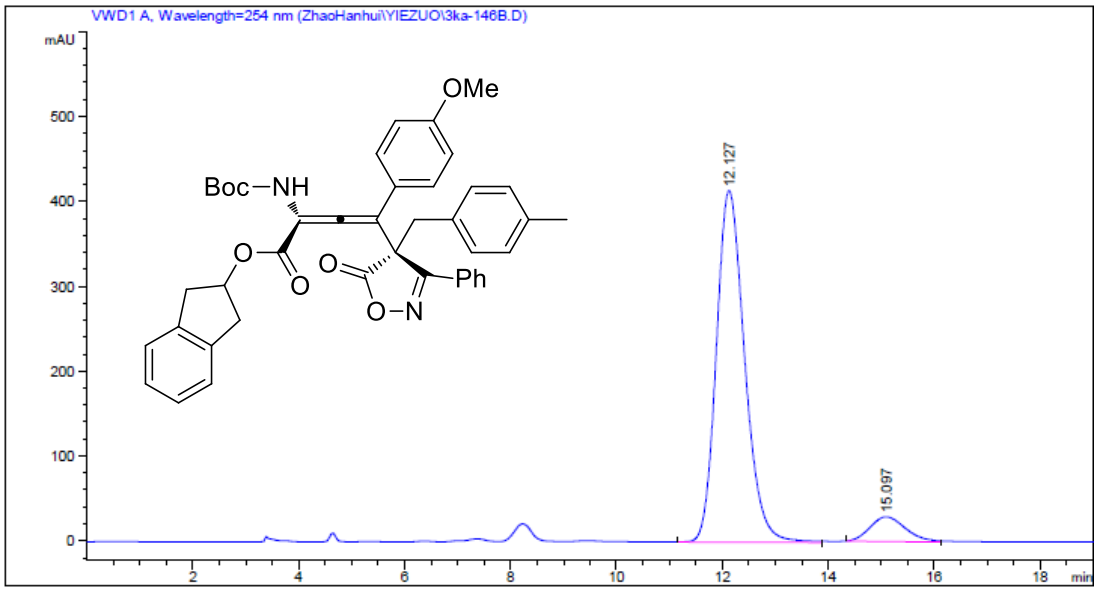


#	Time	Area	Height	Width	Symmetry	Area %
1	6.372	26986.3	1612.9	0.2789	0.859	94.882
2	7.149	1455.6	84.7	0.2865	0.761	5.118

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-methoxyphenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ka)

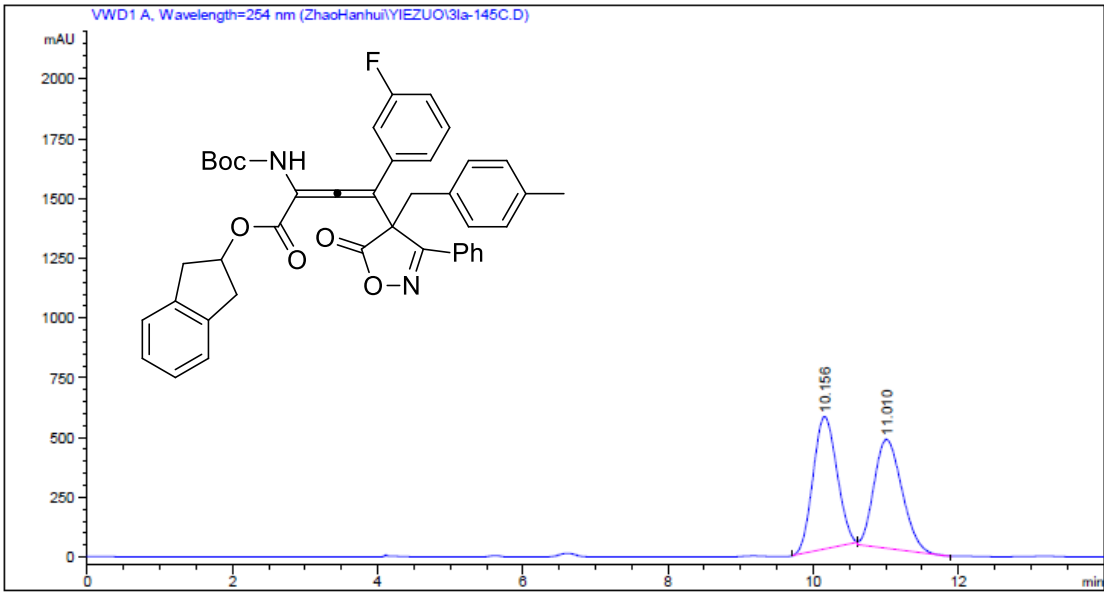


#	Time	Area	Height	Width	Symmetry	Area %
1	12.082	12769.7	328	0.6489	0.801	49.923
2	15.046	12808.9	255.7	0.8349	0.779	50.077

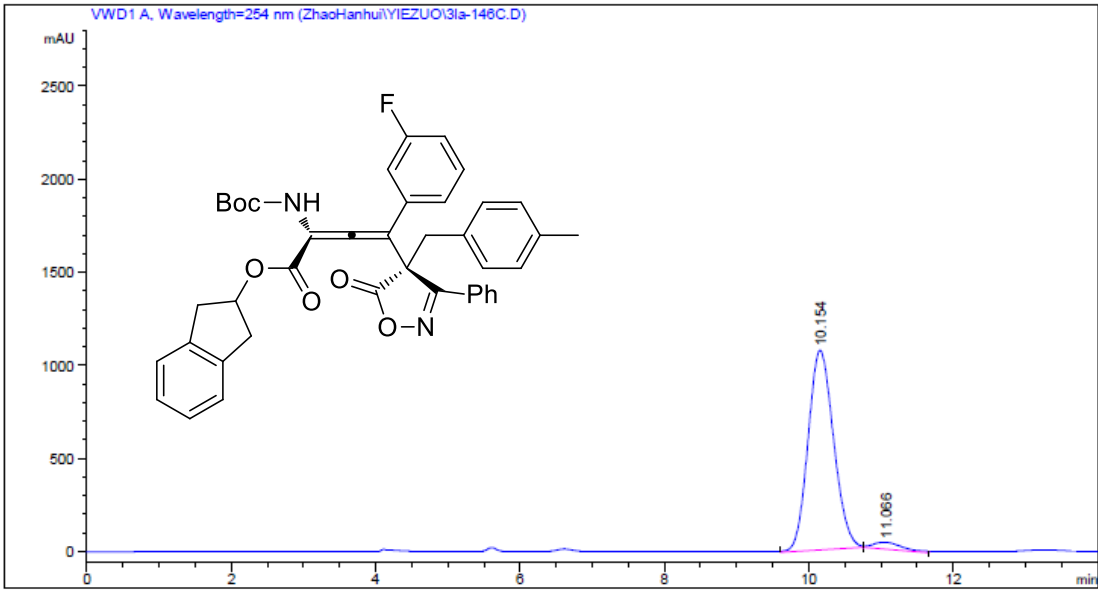


#	Time	Area	Height	Width	Symmetry	Area %
1	12.127	15383.9	414.4	0.6187	0.778	91.818
2	15.097	1370.8	29.1	0.7851	0.806	8.182

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(3-fluorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3la)

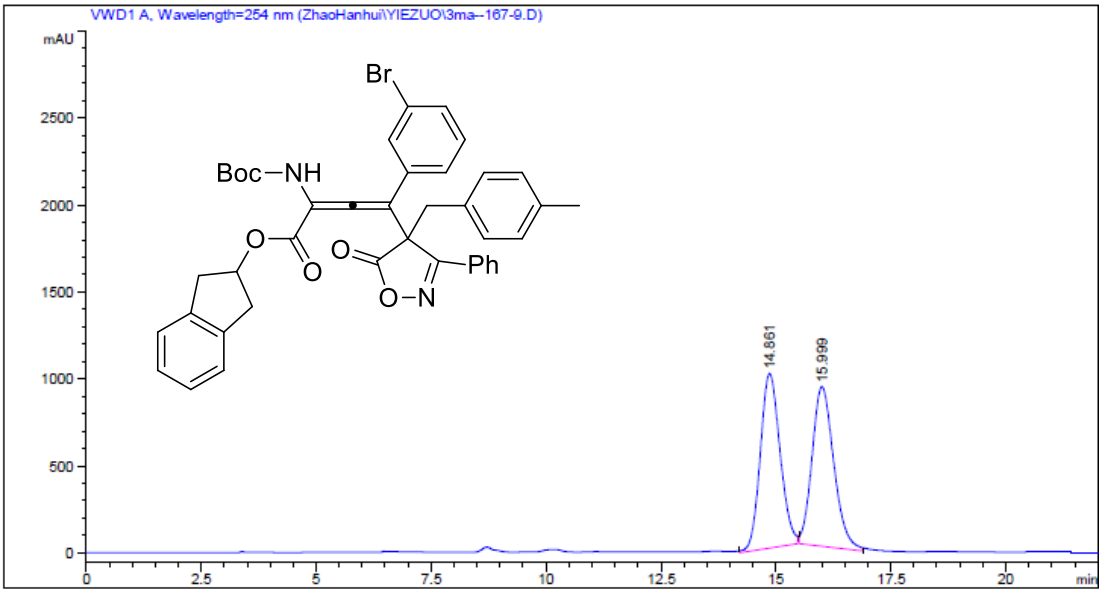


#	Time	Area	Height	Width	Symmetry	Area %
1	10.156	12641.8	553.5	0.3807	0.915	50.941
2	11.01	12174.5	455.5	0.4454	0.773	49.059

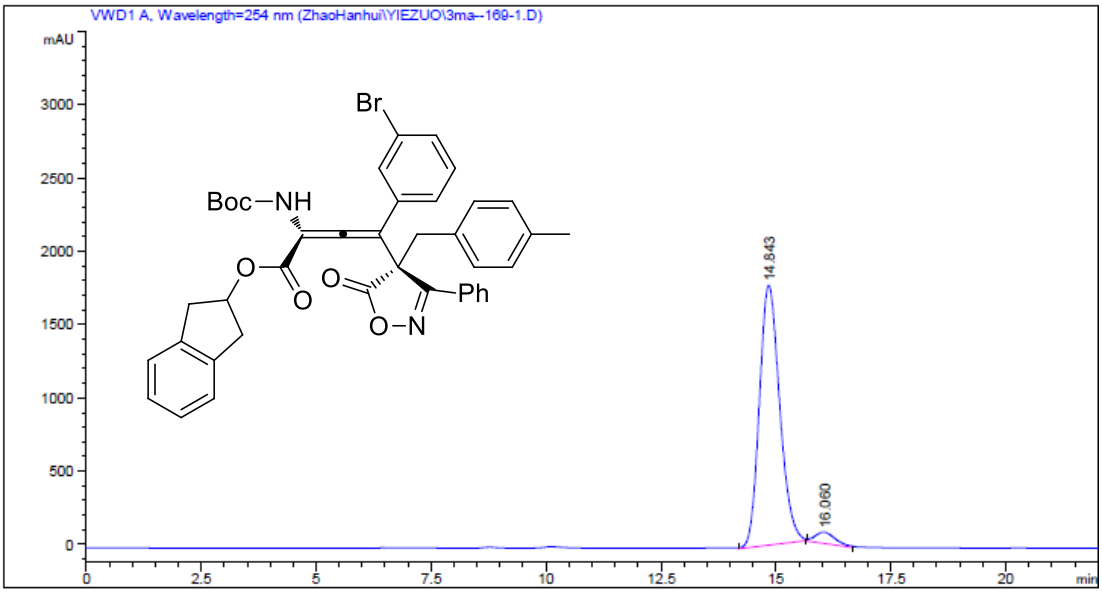


#	Time	Area	Height	Width	Symmetry	Area %
1	10.154	26278.8	1070.8	0.409	0.863	96.352
2	11.066	995	36.9	0.4499	0.538	3.648

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-(3-bromophenyl)-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ma)

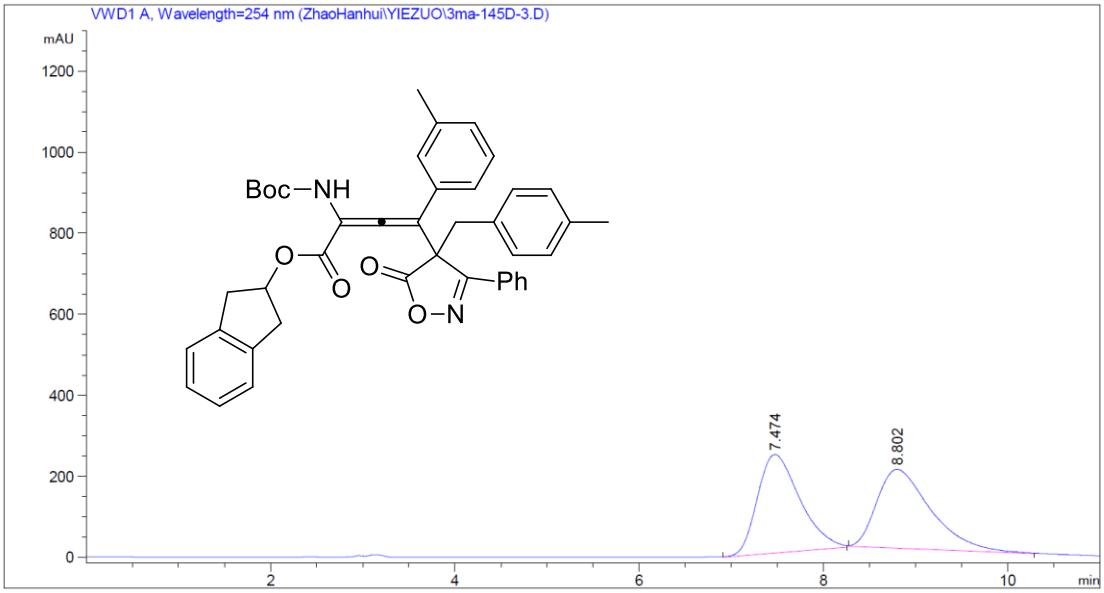


#	Time	Area	Height	Width	Symmetry	Area %
1	14.861	29949.1	1002.2	0.498	0.868	49.764
2	15.999	30233.8	916.5	0.5498	0.8	50.236

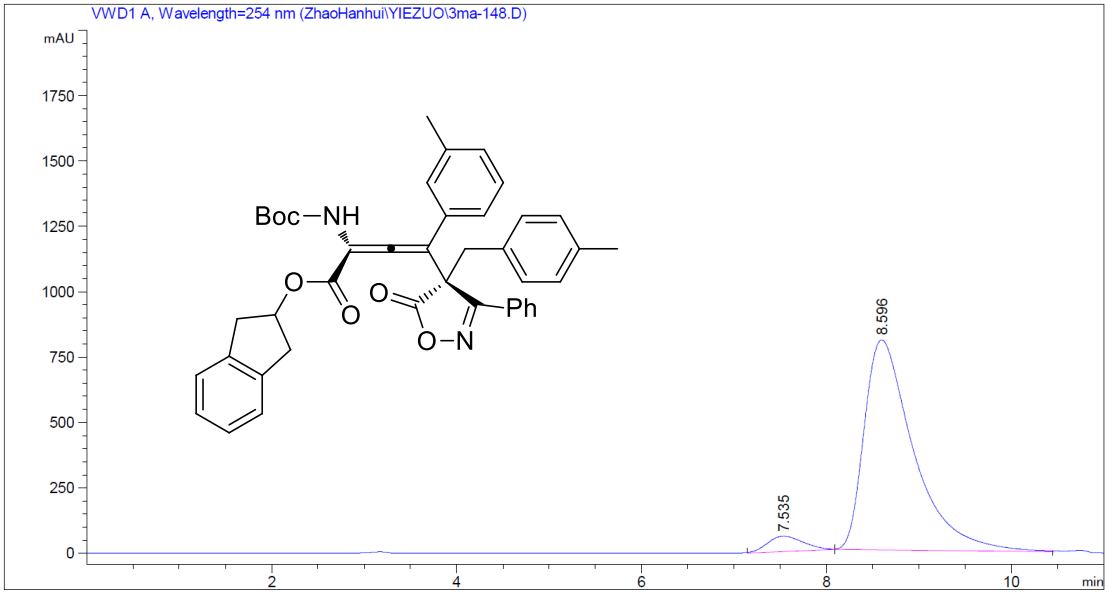


#	Time	Area	Height	Width	Symmetry	Area %
1	14.843	54407.4	1772.8	0.5115	0.816	95.829
2	16.06	2368.2	76.5	0.5159	0.658	4.171

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(*m*-tolyl)buta-2,3-dienoate (3na)

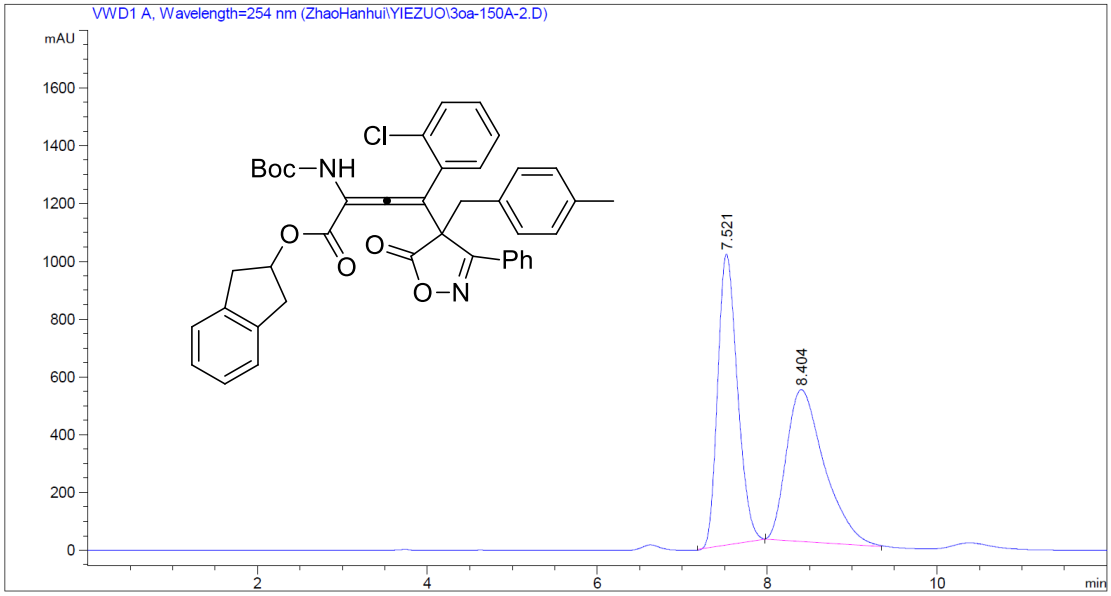


#	Time	Area	Height	Width	Symmetry	Area %
1	7.474	7767	243.1	0.5325	0.688	49.627
2	8.802	7883.6	194.3	0.6762	0.576	50.373

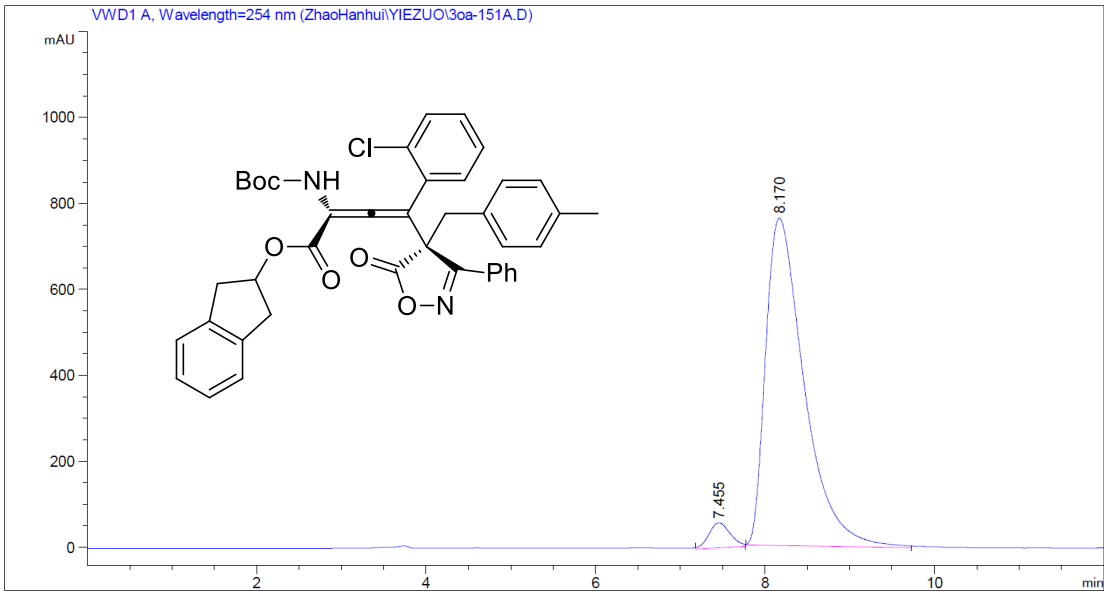


#	Time	Area	Height	Width	Symmetry	Area %
1	7.535	1593.1	59.2	0.4484	0.81	5.078
2	8.596	29778	803.2	0.6179	0.524	94.922

(*S*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(2-chlorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3oa)

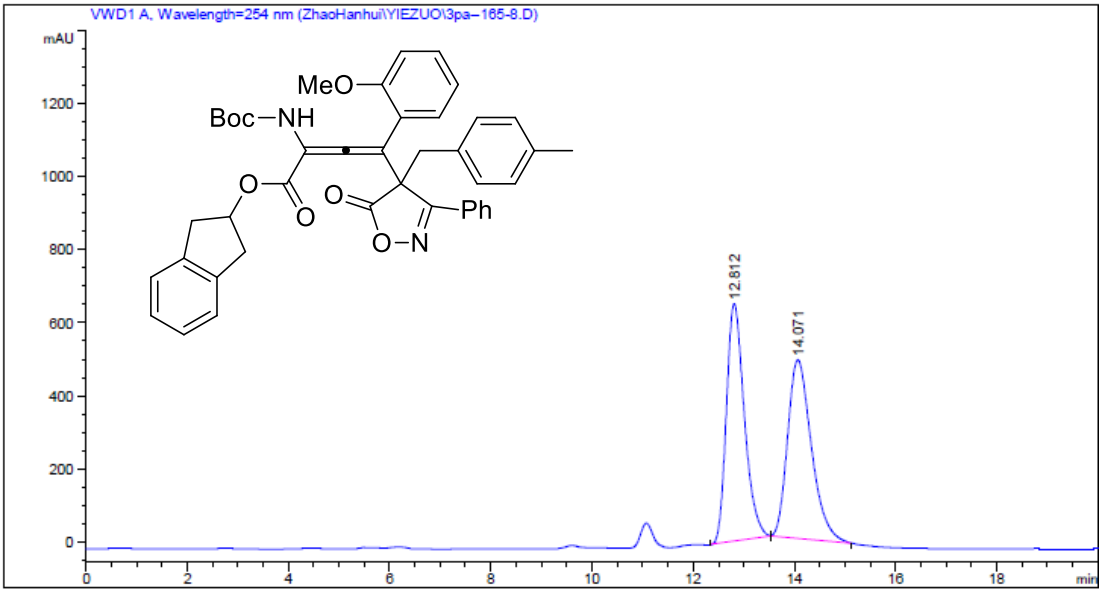


#	Time	Area	Height	Width	Symmetry	Area %
1	7.521	16246.7	1007.4	0.2688	0.762	49.785
2	8.404	16387	526.1	0.5192	0.622	50.215

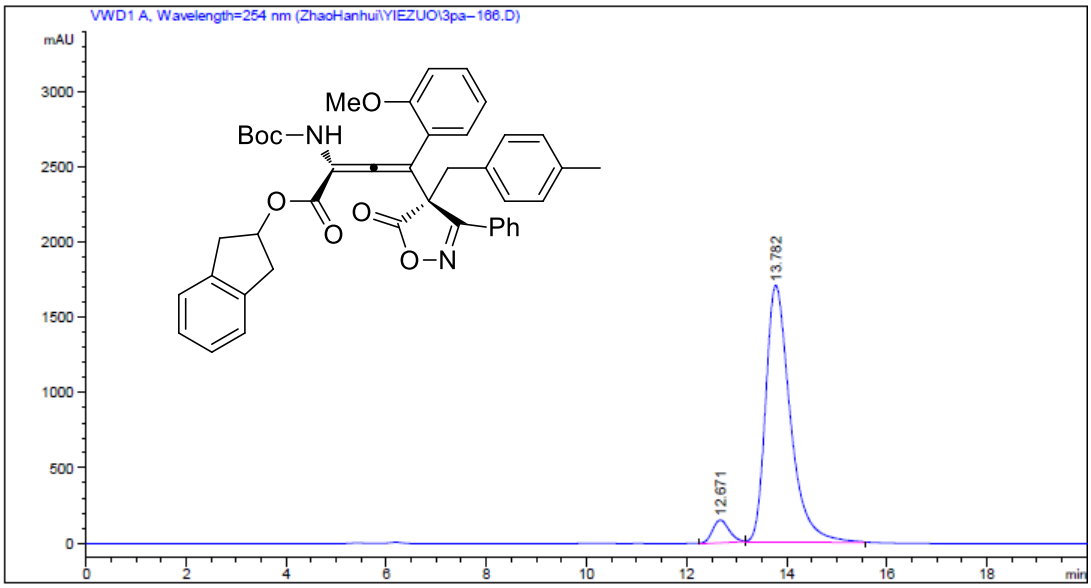


#	Time	Area	Height	Width	Symmetry	Area %
1	7.455	1026.2	58.8	0.2907	0.873	4.083
2	8.17	24108.7	762.2	0.5272	0.529	95.917

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(2-methoxyphenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3pa)

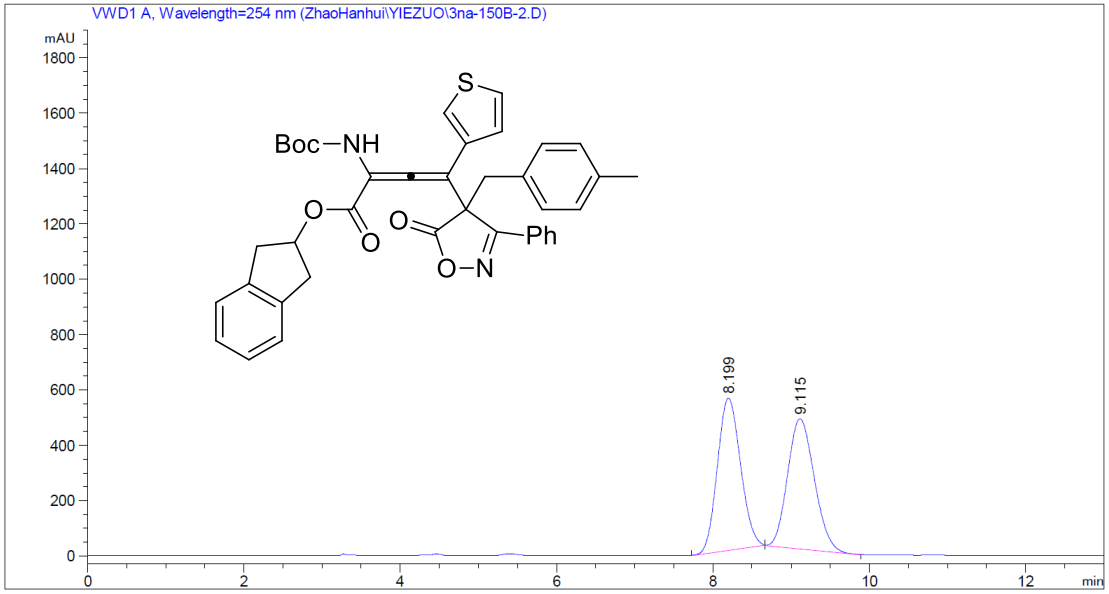


#	Time	Area	Height	Width	Symmetry	Area %
1	12.812	15958	649.8	0.4093	0.731	49.949
2	14.071	15990.6	488.8	0.5452	0.721	50.051

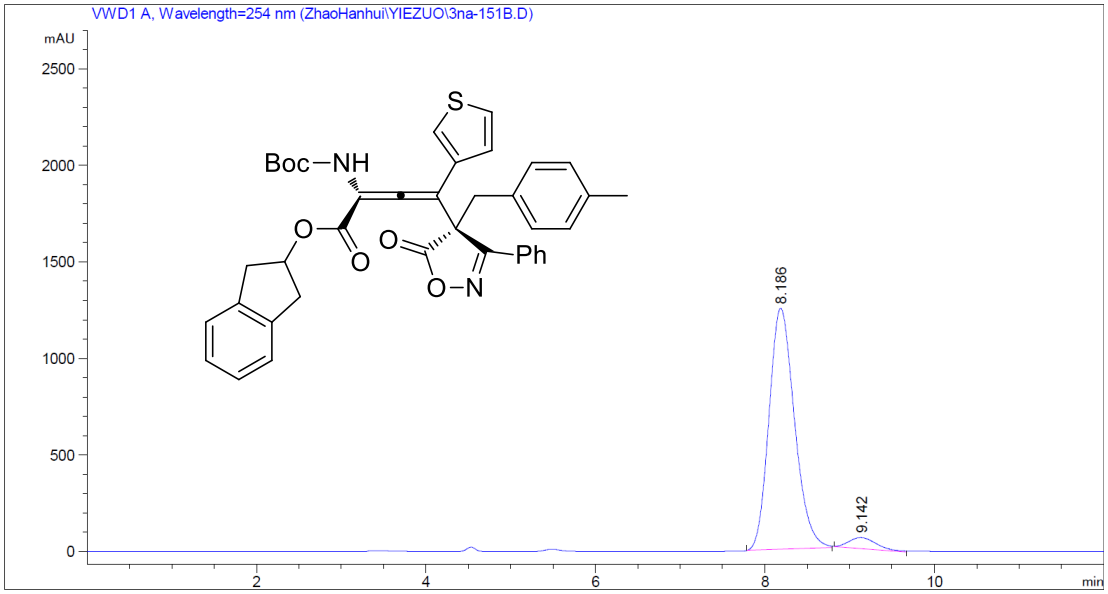


#	Time	Area	Height	Width	Symmetry	Area %
1	12.671	3538.6	152.3	0.3874	0.865	5.717
2	13.782	58359.1	1706.5	0.57	0.664	94.283

(*S*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(thiophen-3-yl)buta-2,3-dienoate (3qa)

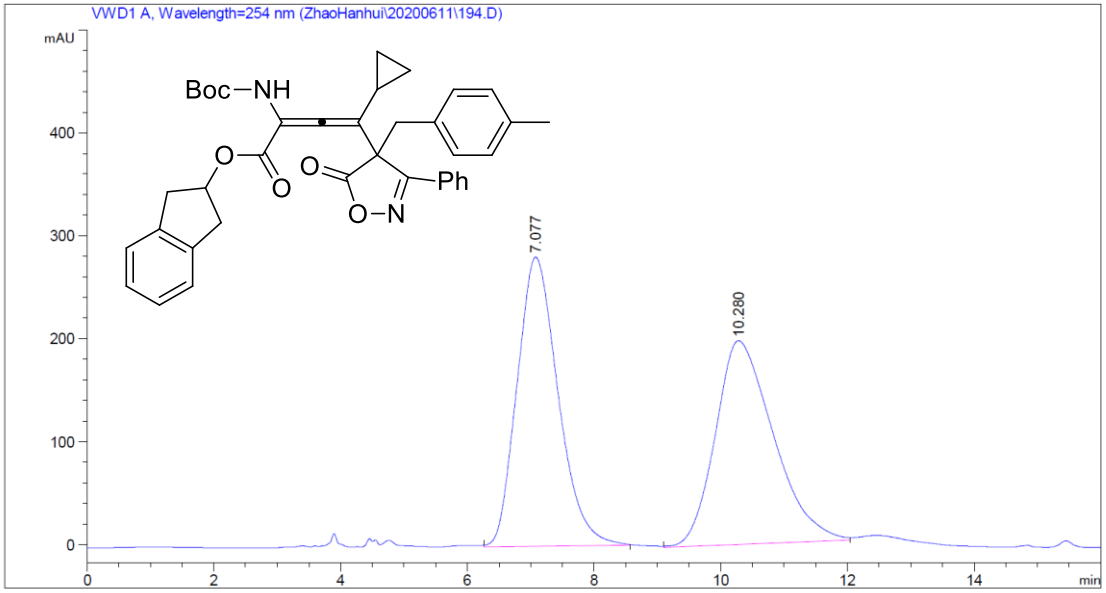


#	Time	Area	Height	Width	Symmetry	Area %
1	8.199	11306.6	549.5	0.3429	0.893	50.174
2	9.115	11228	470.3	0.3979	0.829	49.826

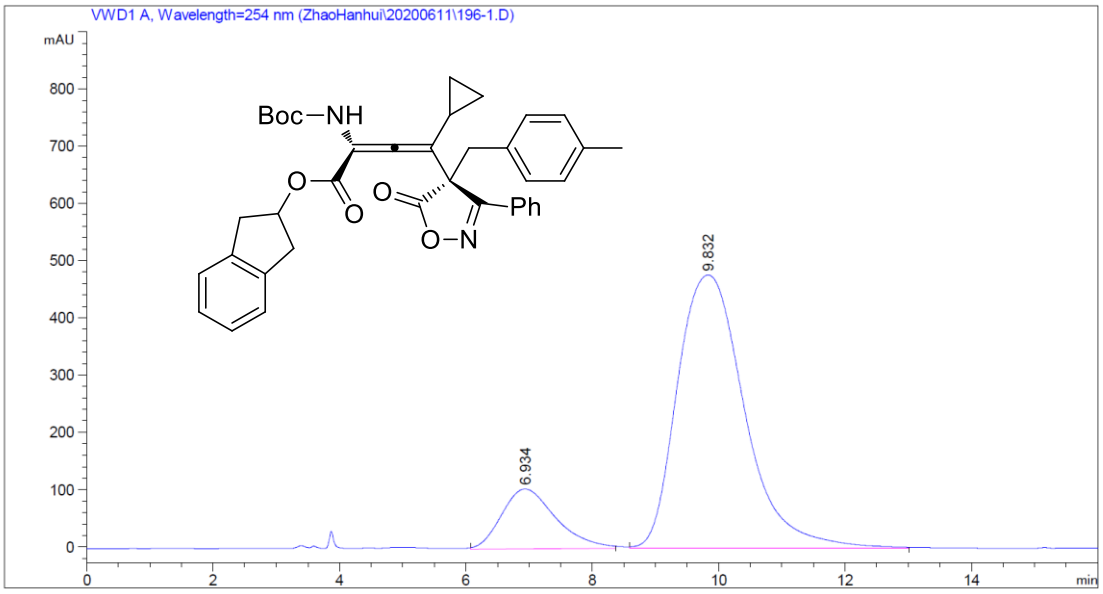


#	Time	Area	Height	Width	Symmetry	Area %
1	8.186	26111.5	1248.2	0.3487	0.808	95.050
2	9.142	1359.8	58.4	0.3883	0.684	4.950

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-cyclopropyl-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ra)

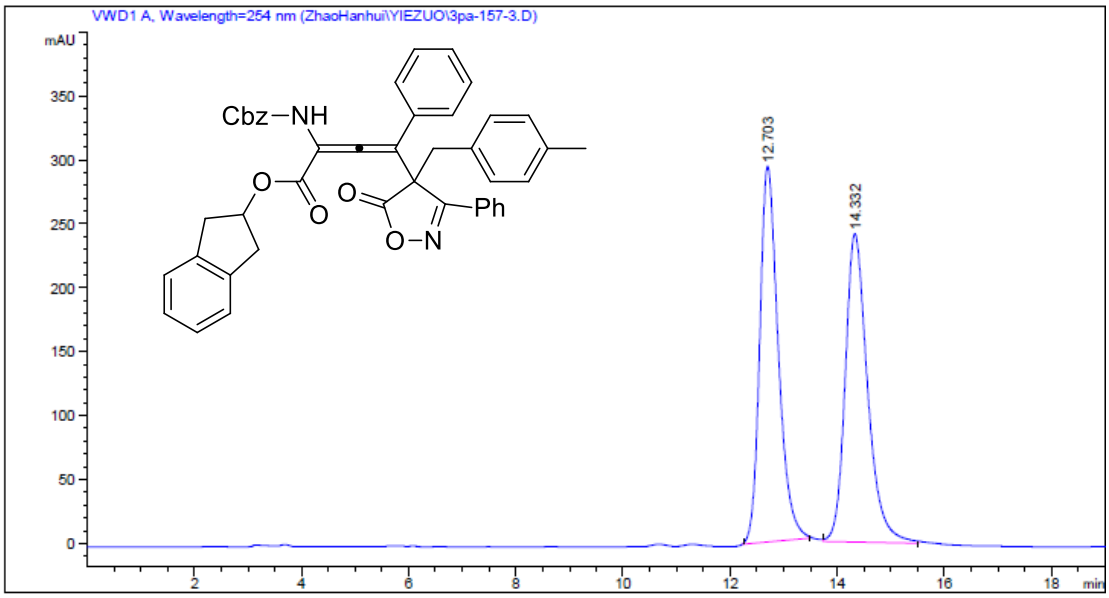


#	Time	Area	Height	Width	Symmetry	Area %
1	7.077	12632.5	280.9	0.7495	0.796	50.014
2	10.28	12625.4	198.1	1.062	0.659	49.986

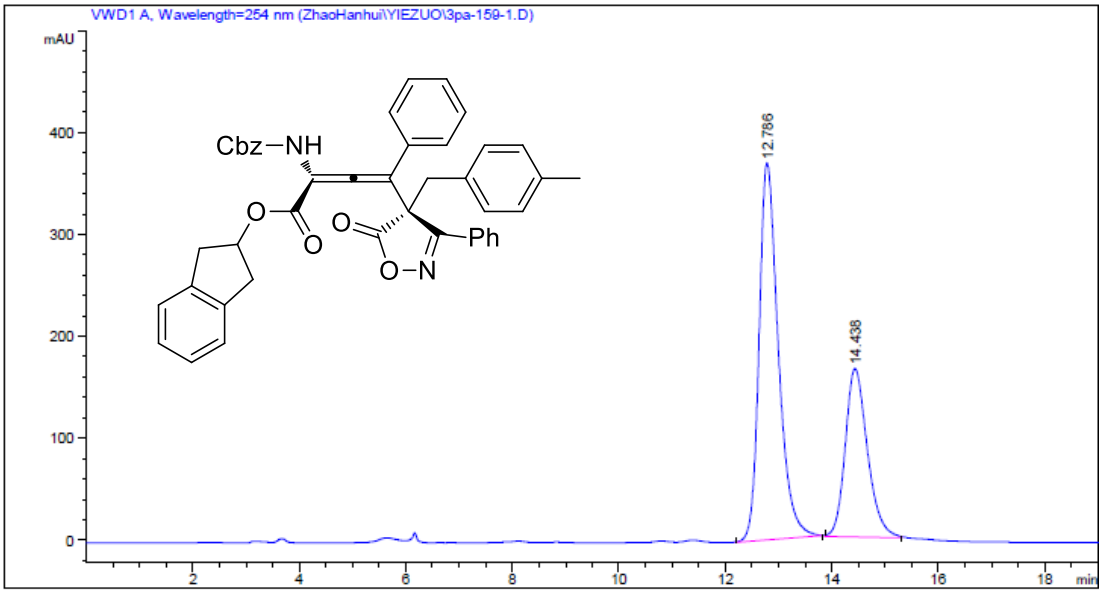


#	Time	Area	Height	Width	Symmetry	Area %
1	6.934	6222.7	104.5	0.9923	0.738	15.001
2	9.832	35259.8	477	1.2319	0.805	84.999

(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-(((benzyloxy)carbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3sa)

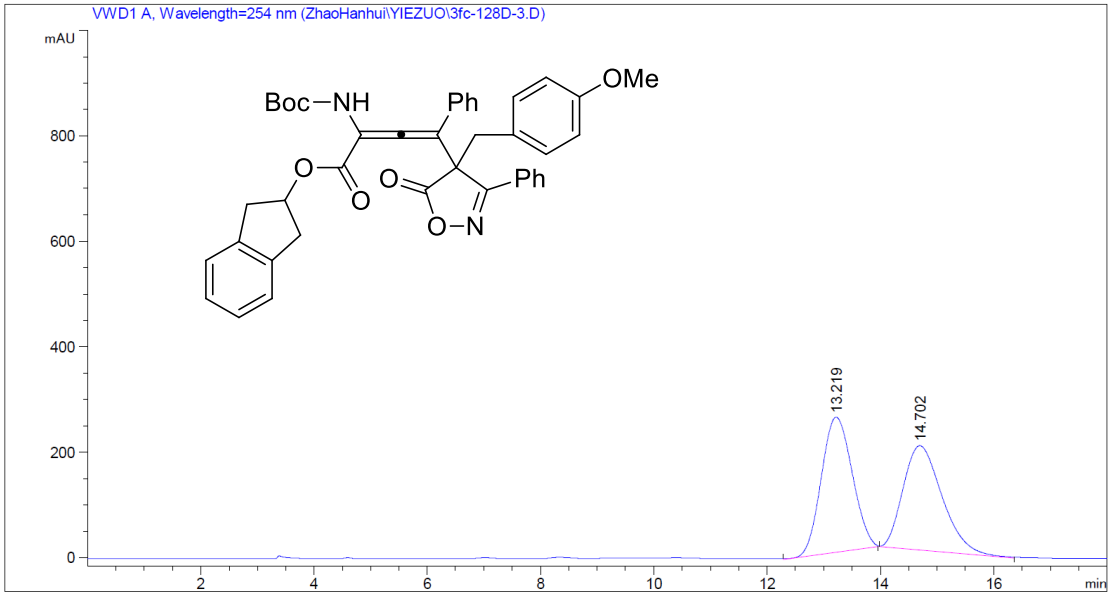


#	Time	Area	Height	Width	Symmetry	Area %
1	12.703	7035.7	293.9	0.399	0.716	50.157
2	14.332	6991.5	241	0.4834	0.729	49.843

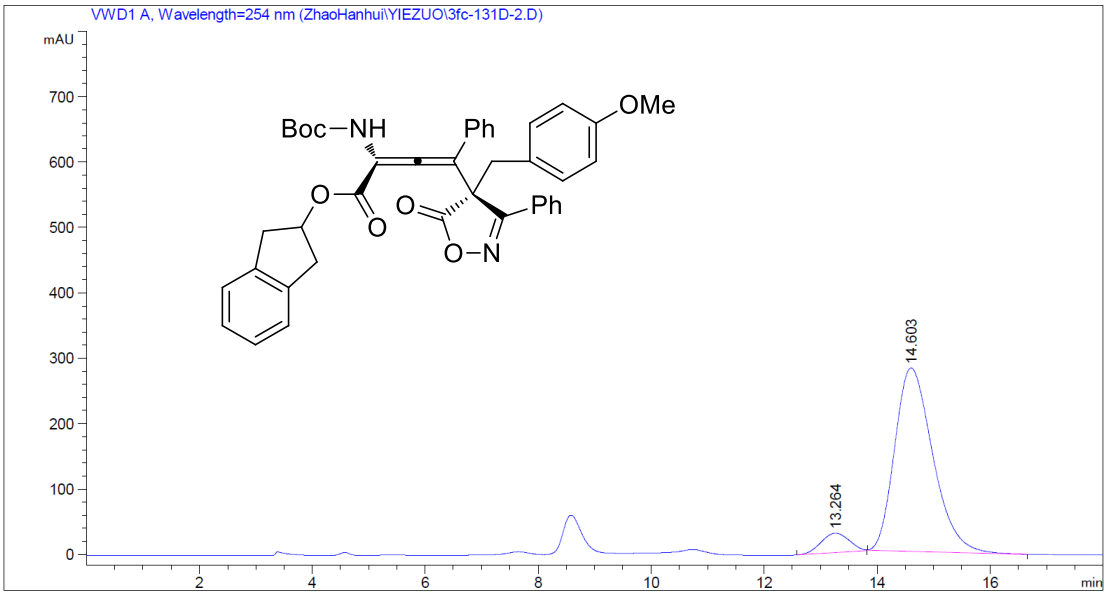


#	Time	Area	Height	Width	Symmetry	Area %
1	12.786	9247.5	370.3	0.4162	0.685	65.924
2	14.438	4780	165.2	0.4822	0.762	34.076

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methoxybenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fb)

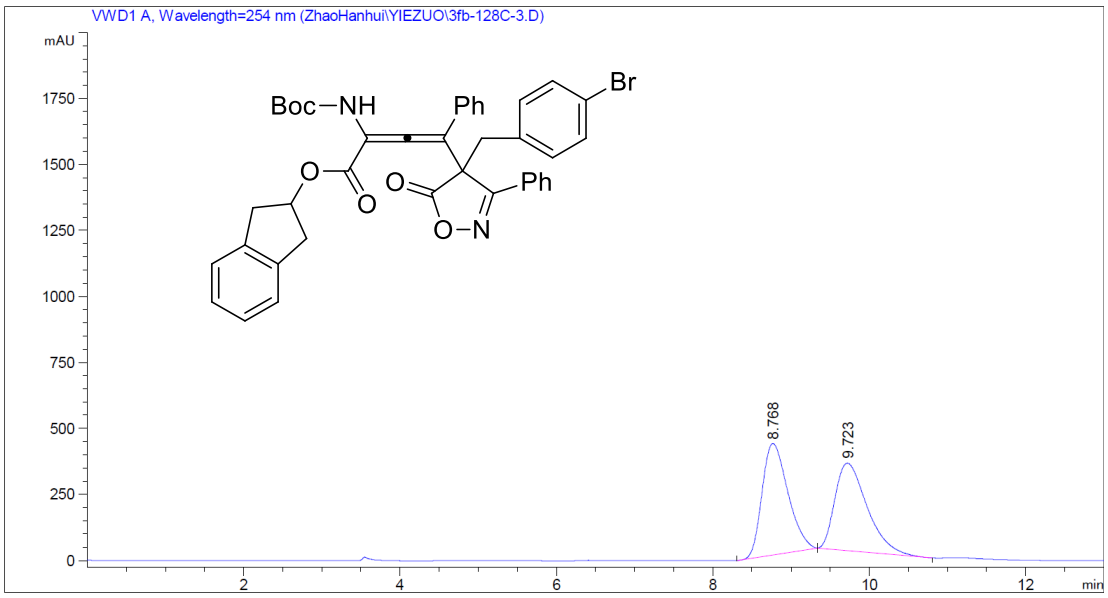


#	Time	Area	Height	Width	Symmetry	Area %
1	13.219	9690.9	255.9	0.6311	0.899	50.673
2	14.702	9433.4	197.8	0.795	0.723	49.327

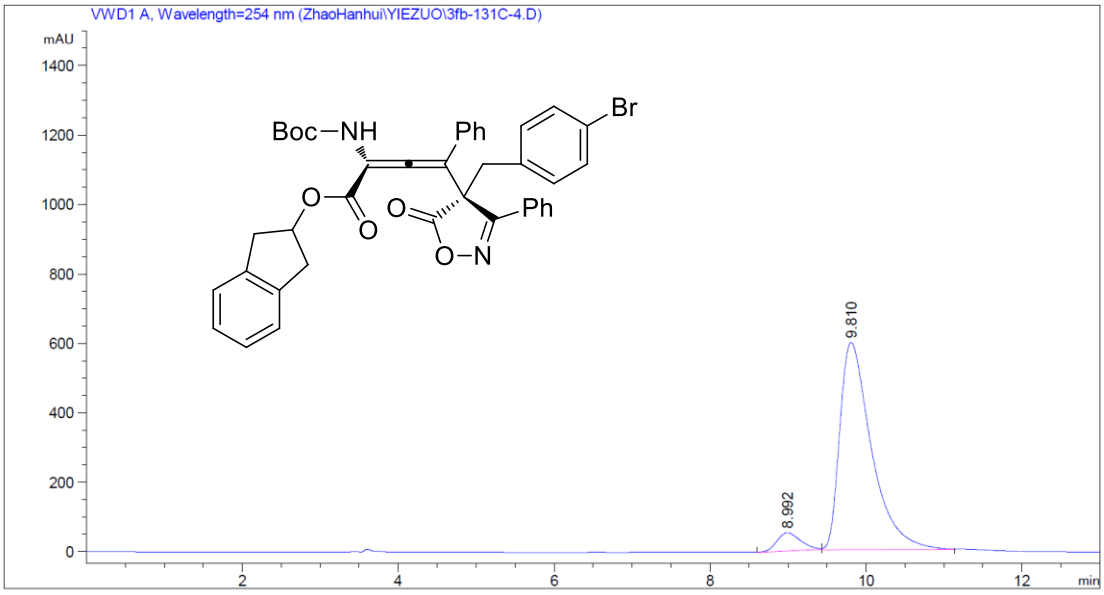


#	Time	Area	Height	Width	Symmetry	Area %
1	13.264	1023.4	29.6	0.5755	1.032	7.210
2	14.603	13170.2	280.6	0.7821	0.724	92.790

(*R*)-2,3-dihydro-1*H*-inden-2-yl-4-((*S*)-4-(4-bromobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fc)

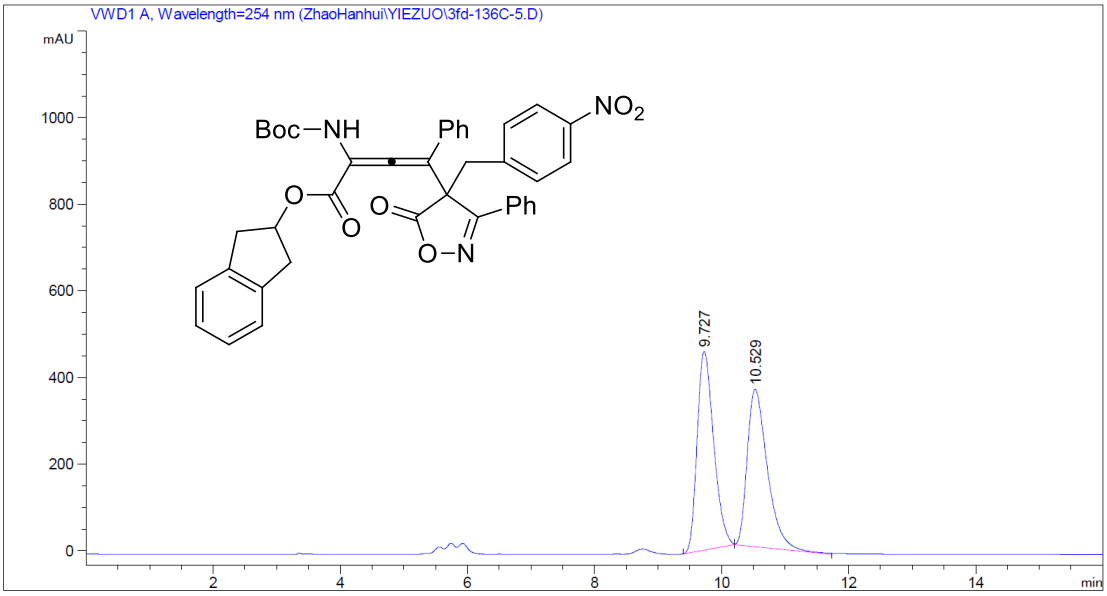


#	Time	Area	Height	Width	Symmetry	Area %
1	8.768	9723	422.8	0.3833	0.703	50.483
2	9.723	9537.1	332.3	0.4783	0.603	49.517

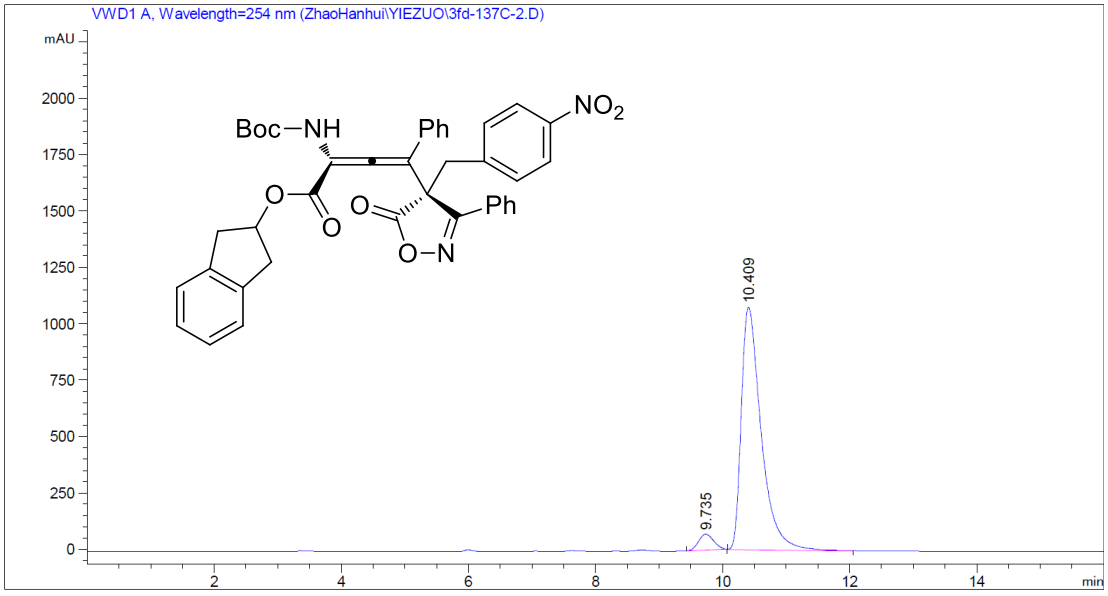


#	Time	Area	Height	Width	Symmetry	Area %
1	8.992	1083.2	52	0.3474	0.803	6.032
2	9.81	16874.3	596.8	0.4712	0.535	93.968

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-nitrobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fd)

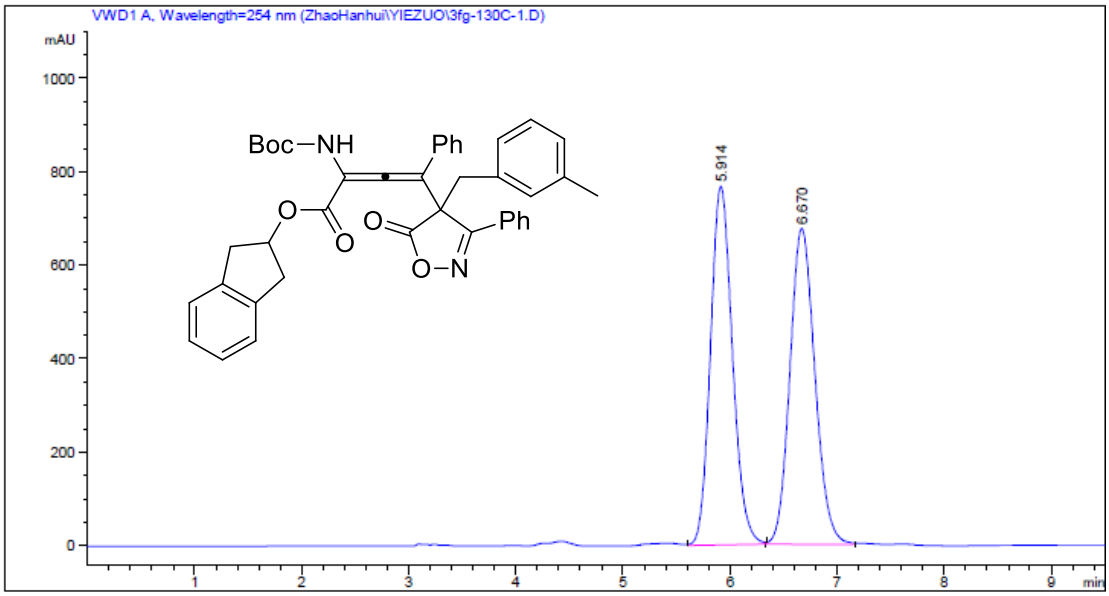


#	Time	Area	Height	Width	Symmetry	Area %
1	9.727	8290.5	458.7	0.3012	0.722	50.776
2	10.529	8037	363.7	0.3683	0.62	49.224

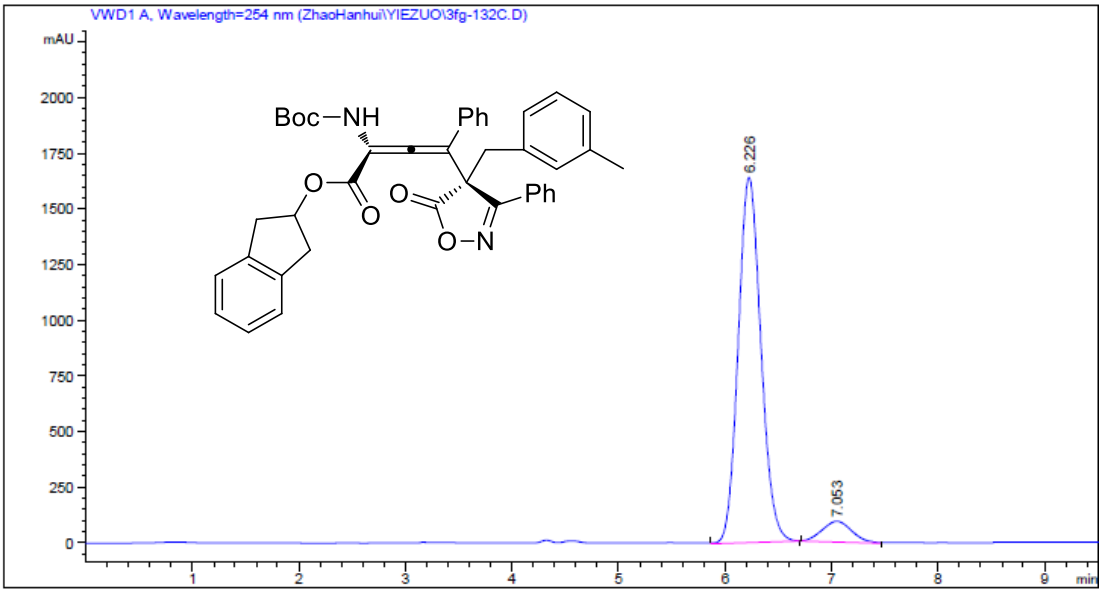


#	Time	Area	Height	Width	Symmetry	Area %
1	9.735	1170.5	70.9	0.2753	0.877	4.765
2	10.409	23396	1075.5	0.3626	0.547	95.235

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fe)

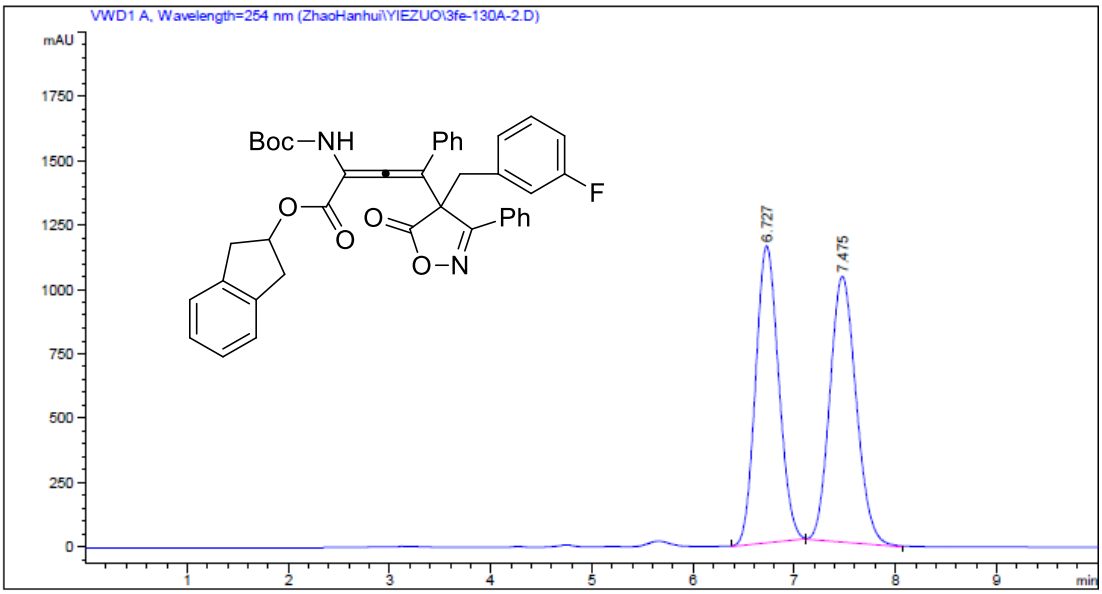


#	Time	Area	Height	Width	Symmetry	Area %
1	5.914	10922.7	767.1	0.2373	0.86	49.911
2	6.67	10961.9	675.5	0.2705	0.862	50.089

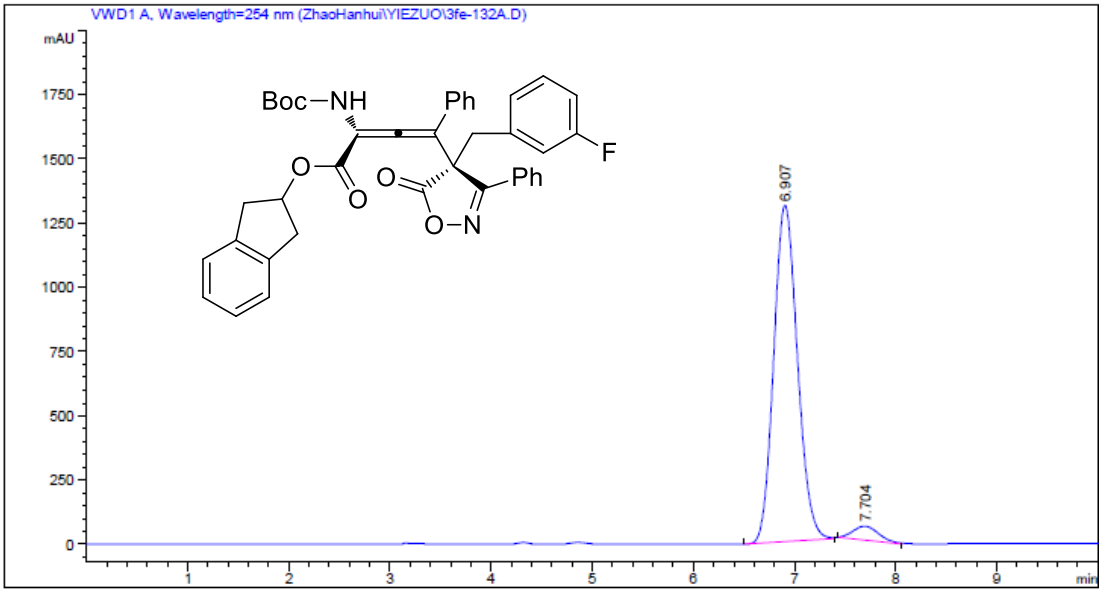


#	Time	Area	Height	Width	Symmetry	Area %
1	6.226	24222	1639.2	0.2463	0.88	93.020
2	7.053	1817.6	93	0.3258	0.927	6.980

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-fluoro benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ff)

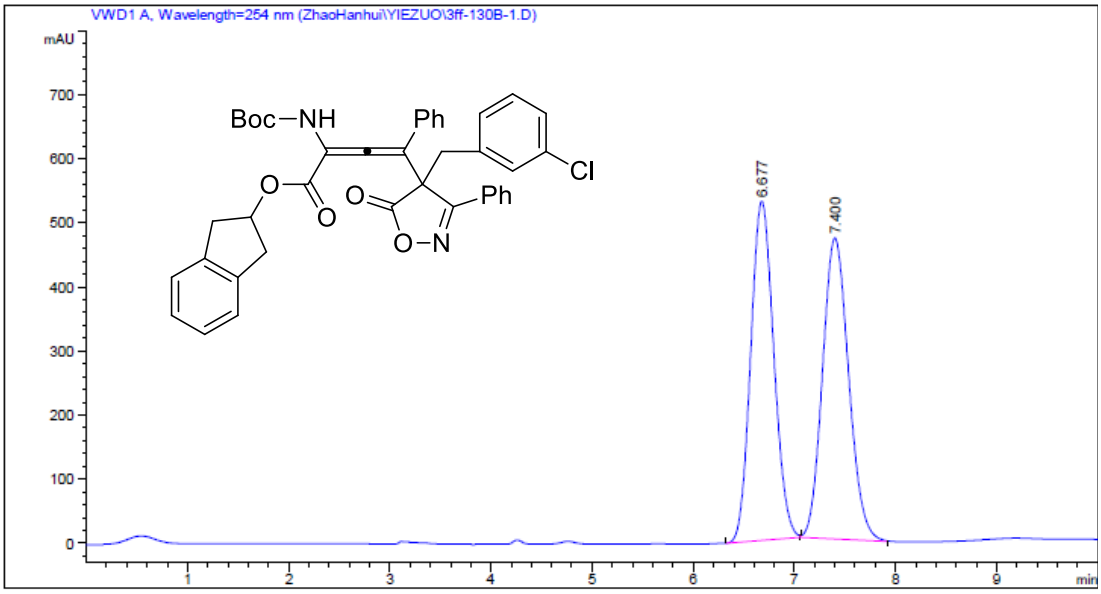


#	Time	Area	Height	Width	Symmetry	Area %
1	6.727	18210.9	1152.3	0.2634	0.888	49.480
2	7.475	18593.8	1031.8	0.3004	0.864	50.520

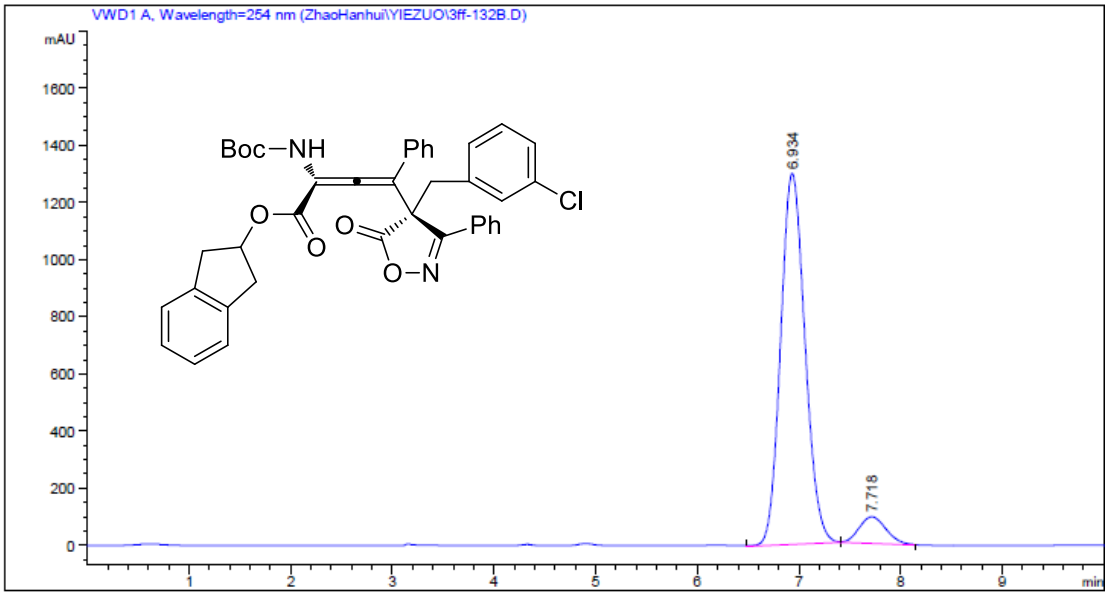


#	Time	Area	Height	Width	Symmetry	Area %
1	6.907	21272.7	1308.5	0.271	0.867	95.654
2	7.704	966.4	54.6	0.2948	0.769	4.346

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-chloro benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fg)

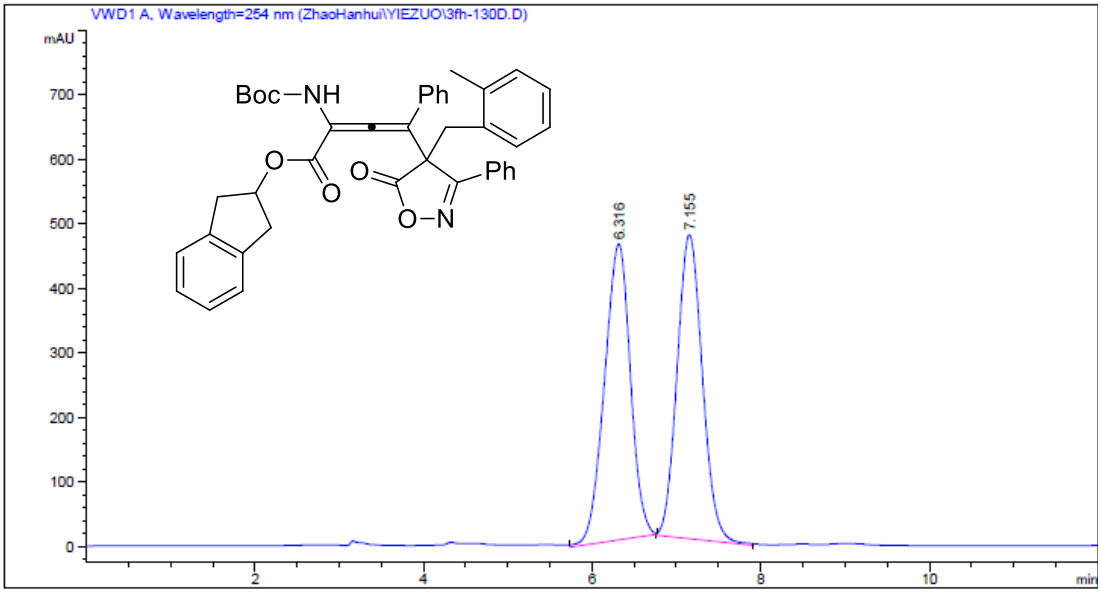


#	Time	Area	Height	Width	Symmetry	Area %
1	6.677	8375.3	529.6	0.2636	0.883	49.835
2	7.4	8430.6	470.1	0.2989	0.867	50.165

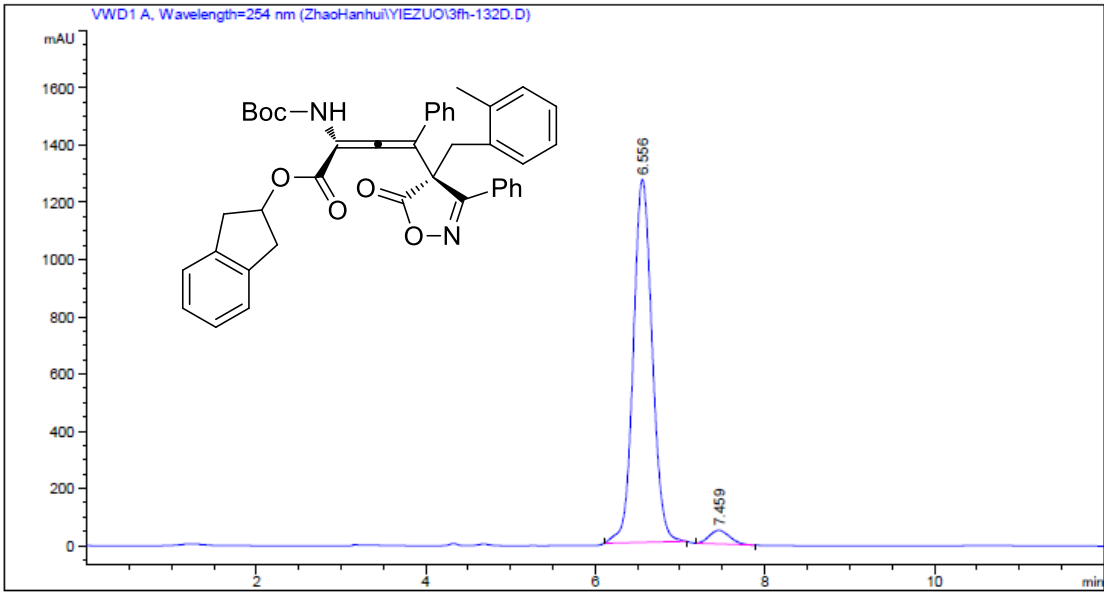


#	Time	Area	Height	Width	Symmetry	Area %
1	6.934	21597.2	1298.7	0.2772	0.873	92.485
2	7.718	1754.9	94	0.3113	0.832	7.515

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(2-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fh)

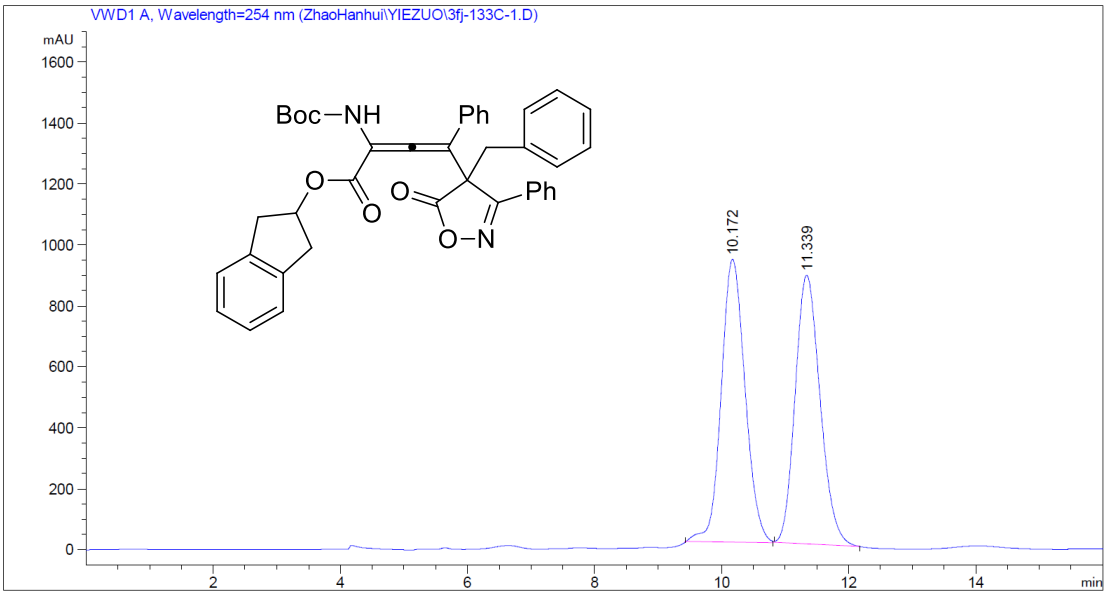


#	Time	Area	Height	Width	Symmetry	Area %
1	6.316	9794.7	459.1	0.3556	1.18	50.038
2	7.155	9779.9	471.1	0.346	0.904	49.962

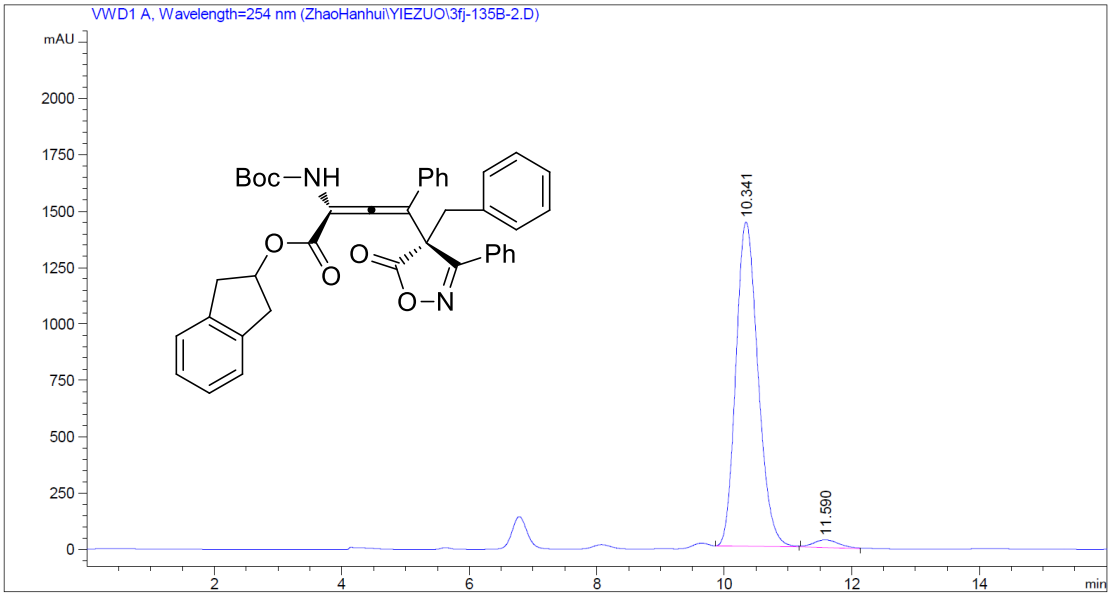


#	Time	Area	Height	Width	Symmetry	Area %
1	6.556	19559.1	1267.8	0.2571	0.905	96.037
2	7.459	807.2	47.7	0.282	0.825	3.963

(*R*)-2,3-dihydro-1*H*-inden-2-yl-4-((*S*)-4-benzyl-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fi)

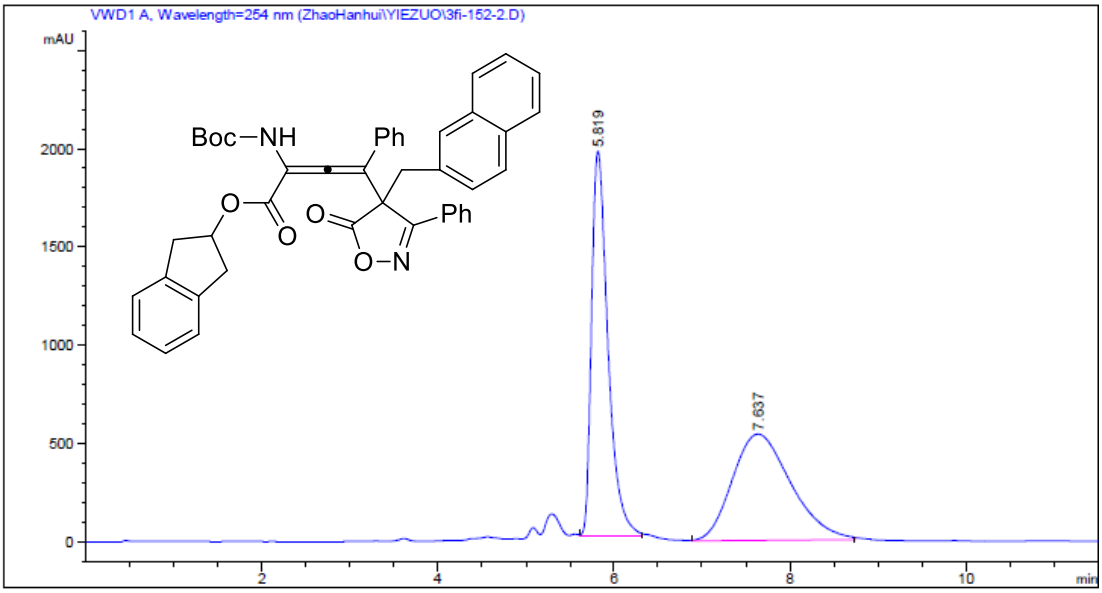


#	Time	Area	Height	Width	Symmetry	Area %
1	10.172	24215.2	927.7	0.4351	0.881	50.185
2	11.339	24036.3	880.8	0.4548	0.82	49.815

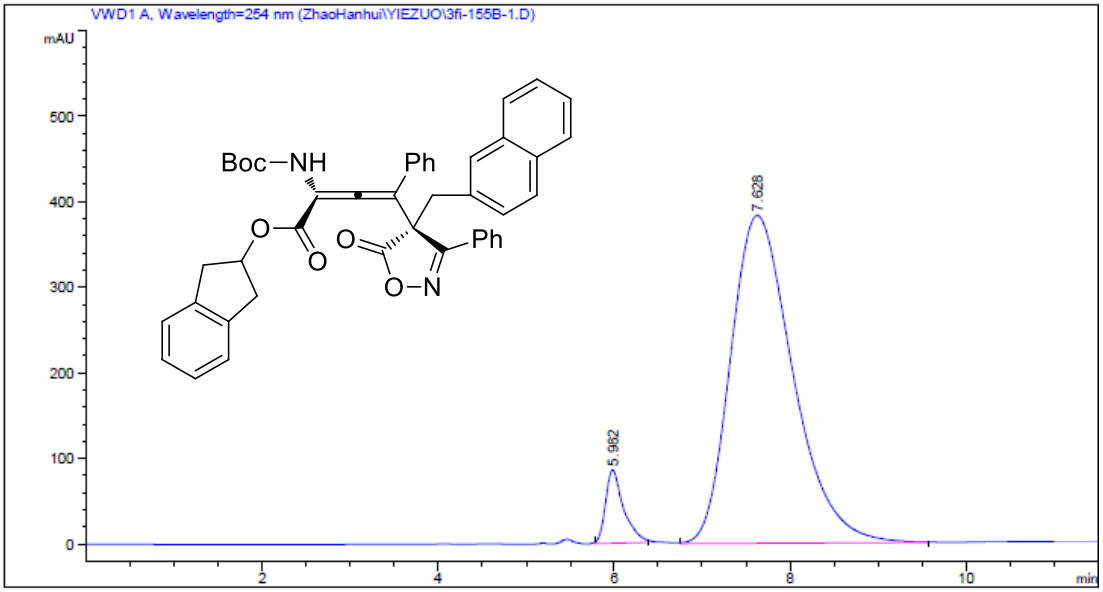


#	Time	Area	Height	Width	Symmetry	Area %
1	10.341	34684.8	1438.9	0.4018	0.814	97.249
2	11.59	981	35	0.4677	0.782	2.751

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(naphthalen-2-ylmethyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fj)

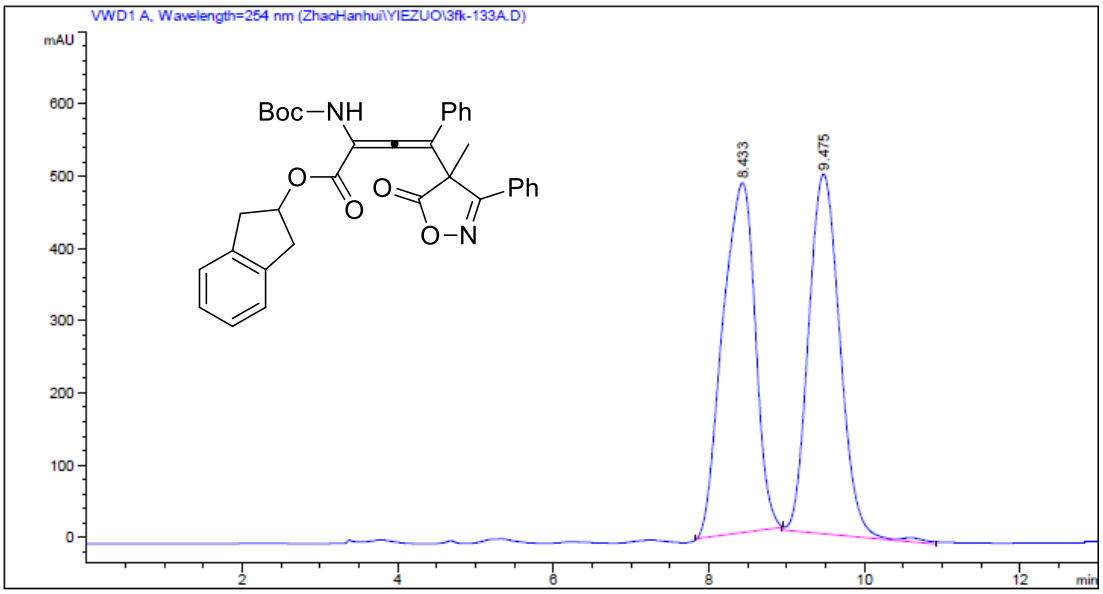


#	Time	Area	Height	Width	Symmetry	Area %
1	5.819	25245	1956.2	0.2151	0.609	50.176
2	7.637	25068.3	541.4	0.7717	0.754	49.824

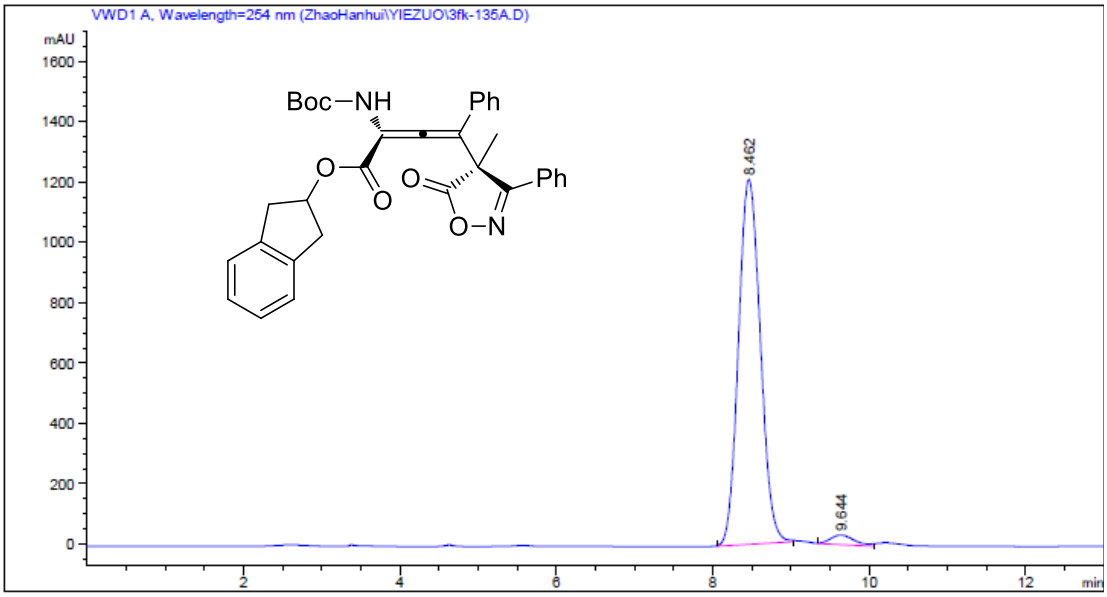


#	Time	Area	Height	Width	Symmetry	Area %
1	5.982	1215.5	85.5	0.2369	0.616	6.064
2	7.628	18828.3	382.7	0.8199	0.724	93.936

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-methyl-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fk)

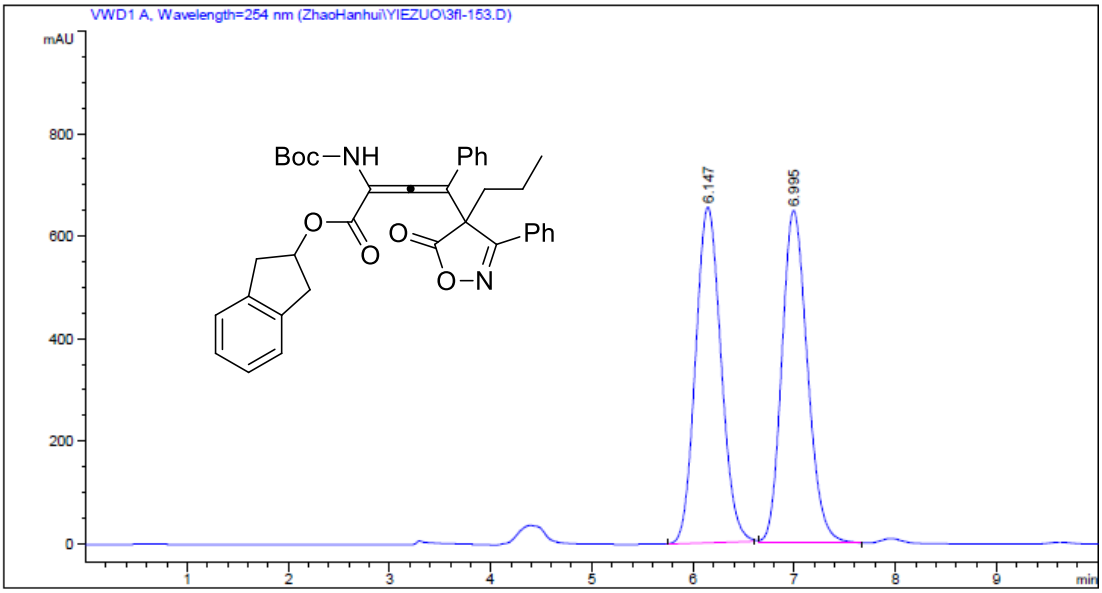


#	Time	Area	Height	Width	Symmetry	Area %
1	8.433	14113.2	484.4	0.4856	1.468	50.251
2	9.475	13972.4	498.4	0.4672	0.863	49.749

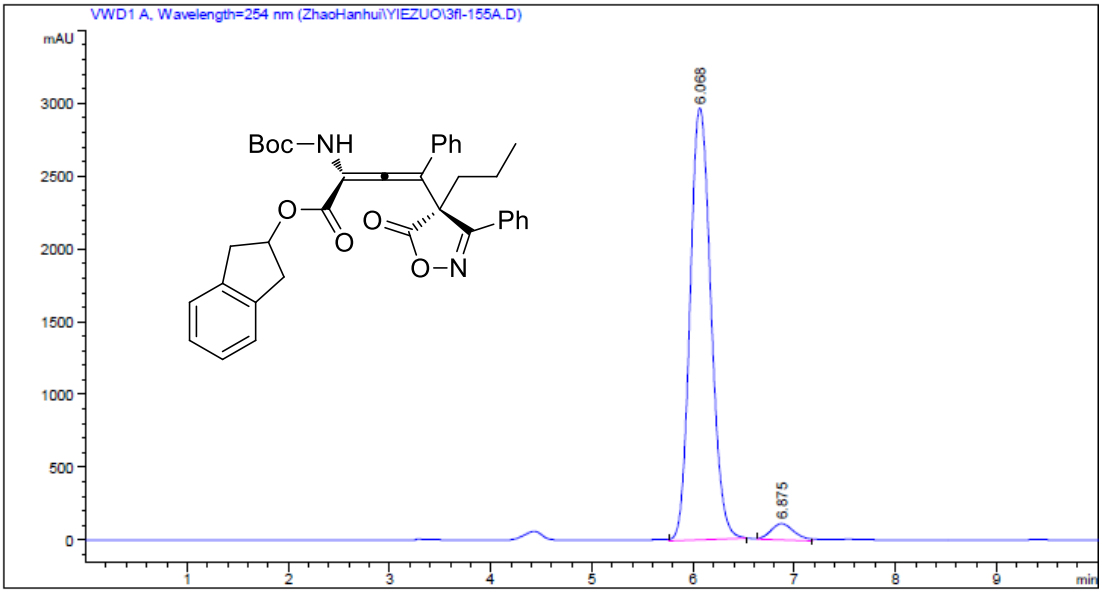


#	Time	Area	Height	Width	Symmetry	Area %
1	8.462	23511.4	1208.9	0.3241	0.873	97.120
2	9.644	697.2	31.7	0.3663	0.688	2.880

(*R*)-2,3-dihydro-1*H*-inden-2-yl-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-5-oxo-3-phenyl-4-propyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fl)

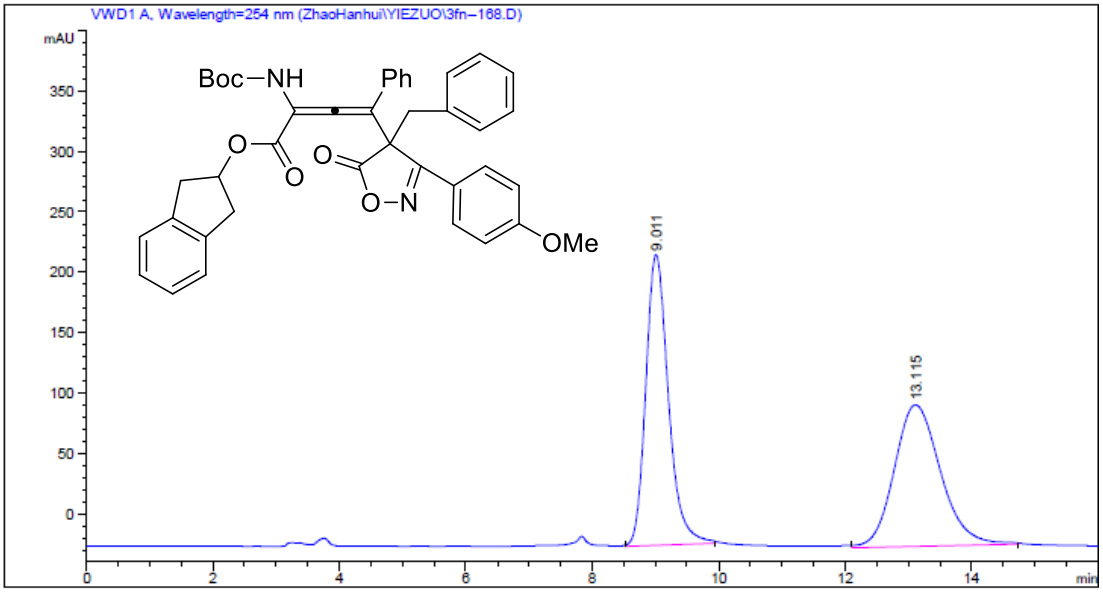


#	Time	Area	Height	Width	Symmetry	Area %
1	6.147	11433.9	654.5	0.2912	0.903	50.168
2	6.995	11357.3	647.3	0.2924	0.829	49.832

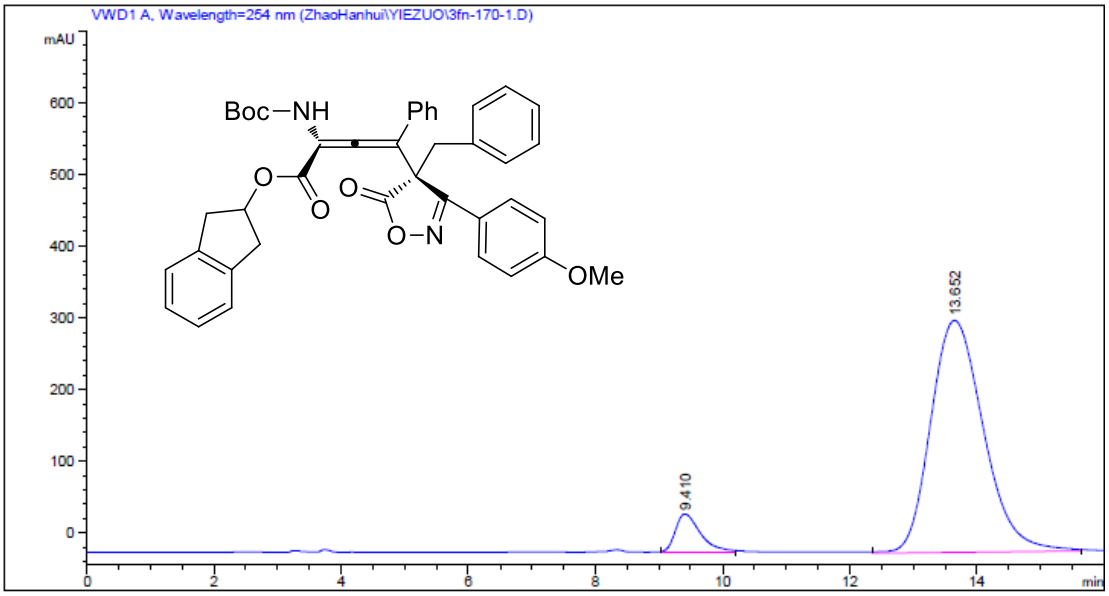


#	Time	Area	Height	Width	Symmetry	Area %
1	6.068	42420.7	2965.8	0.2384	0.857	95.996
2	6.875	1769.2	110.7	0.2663	0.796	4.004

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(4-methoxyphenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fm)

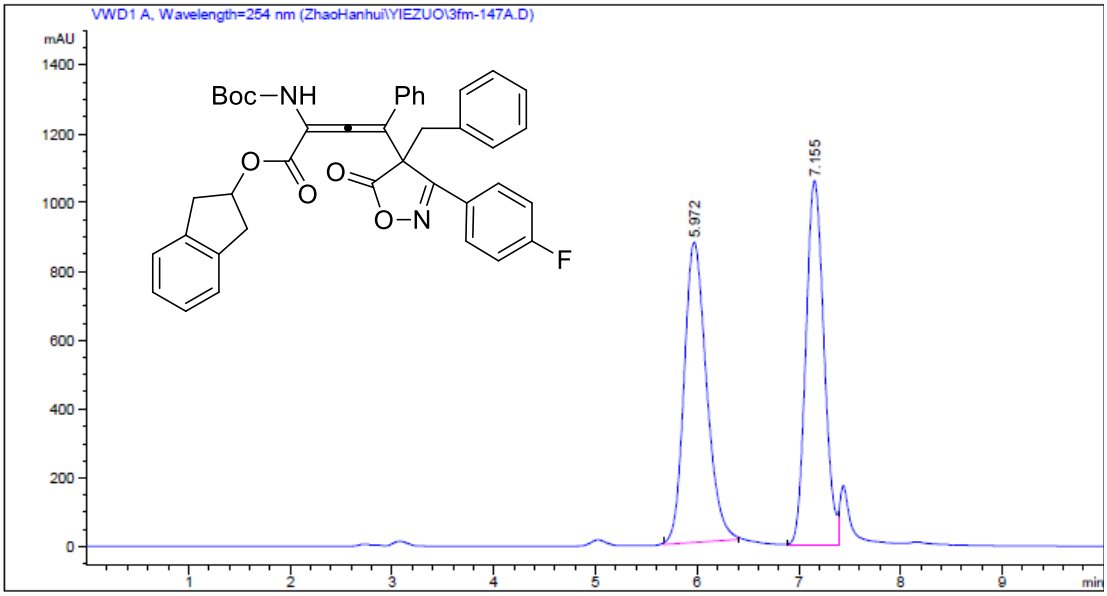


#	Time	Area	Height	Width	Symmetry	Area %
1	9.011	5985.9	240.4	0.415	0.789	50.069
2	13.115	5969.3	117.1	0.8499	0.819	49.931

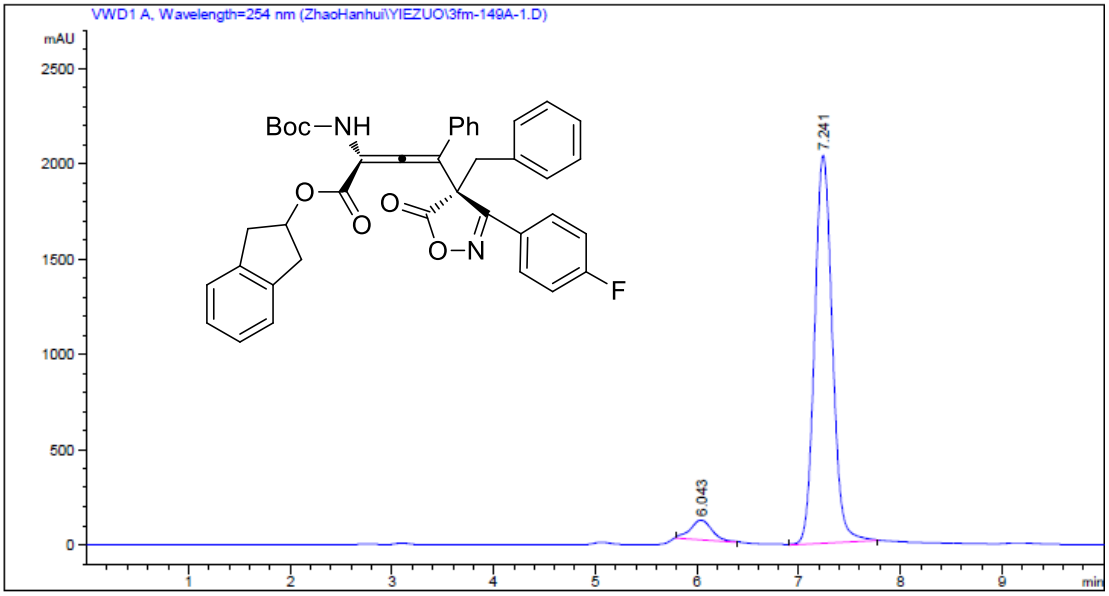


#	Time	Area	Height	Width	Symmetry	Area %
1	9.41	1430	52.7	0.452	0.597	7.105
2	13.652	18696.3	323.5	0.9631	0.792	92.895

(*R*)-2,3-dihydro-1*H*-inden-2-yl-4-((*S*)-4-benzyl-3-(4-fluorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fn)

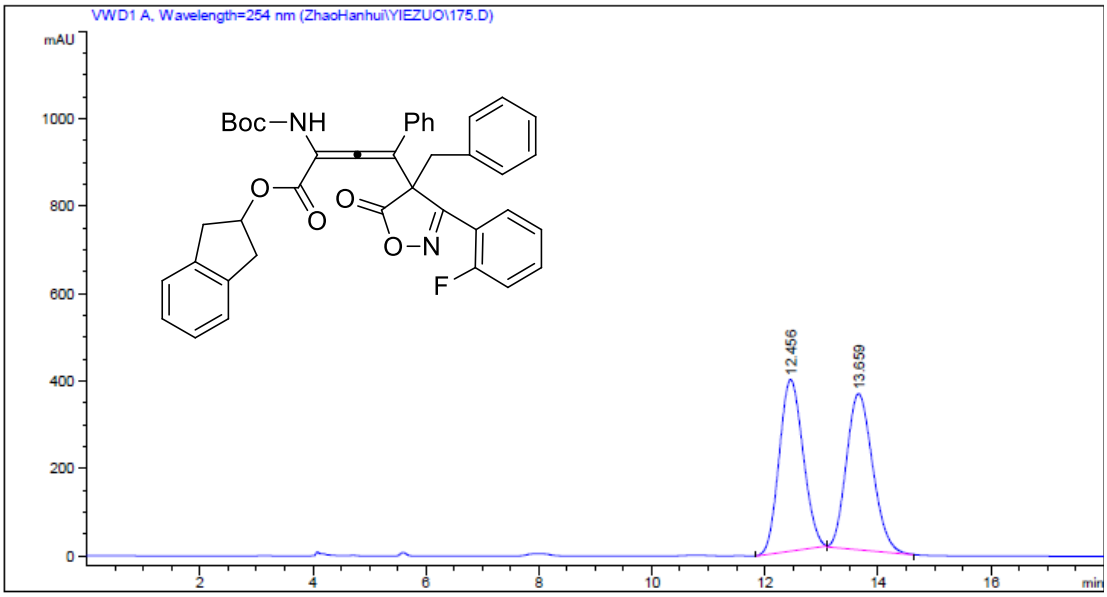


#	Time	Area	Height	Width	Symmetry	Area %
1	5.972	13358.9	873.2	0.255	0.784	50.299
2	7.155	13200.1	1061.8	0.2072	0.877	49.701

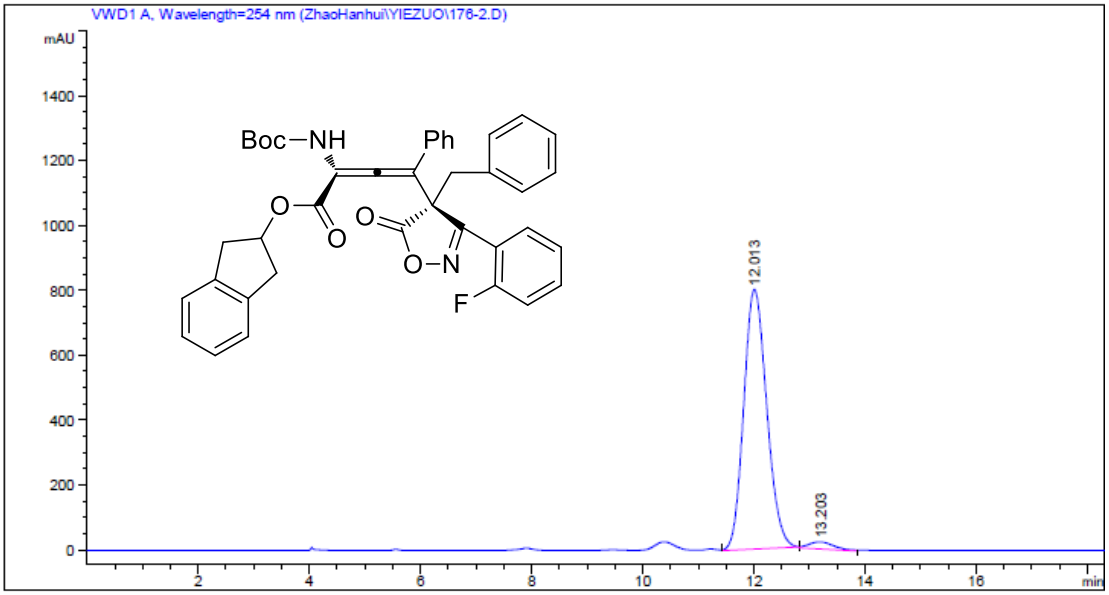


#	Time	Area	Height	Width	Symmetry	Area %
1	6.043	1554.1	104.3	0.2482	0.927	5.945
2	7.241	24586.7	2036.8	0.2012	0.893	94.055

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(2-fluorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fo)

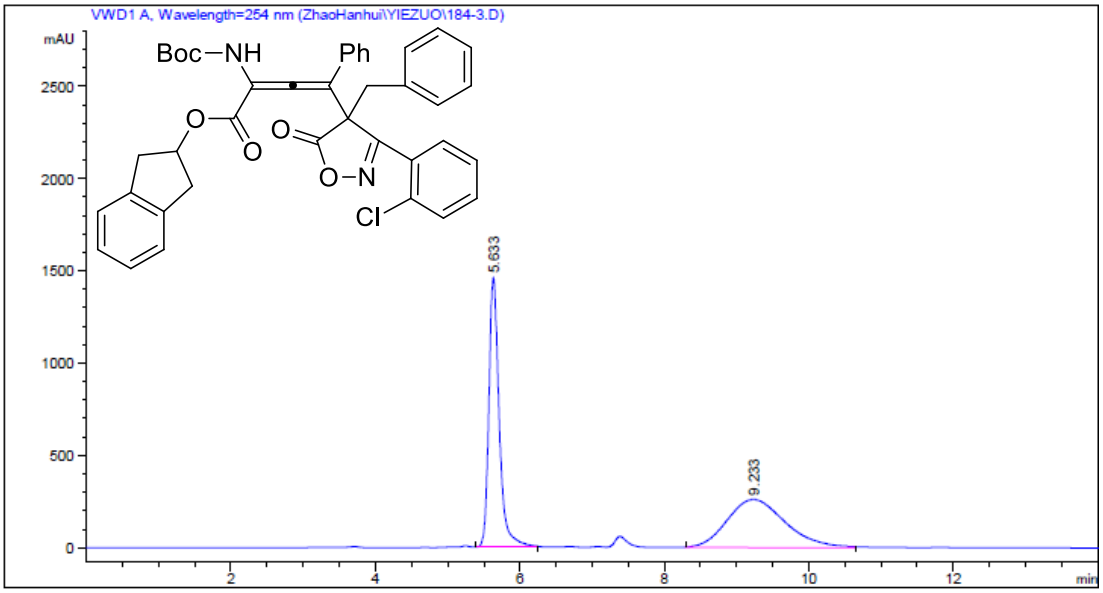


#	Time	Area	Height	Width	Symmetry	Area %
1	12.456	11572.7	392.6	0.4913	0.885	50.063
2	13.659	11543.6	357.2	0.5386	0.825	49.937

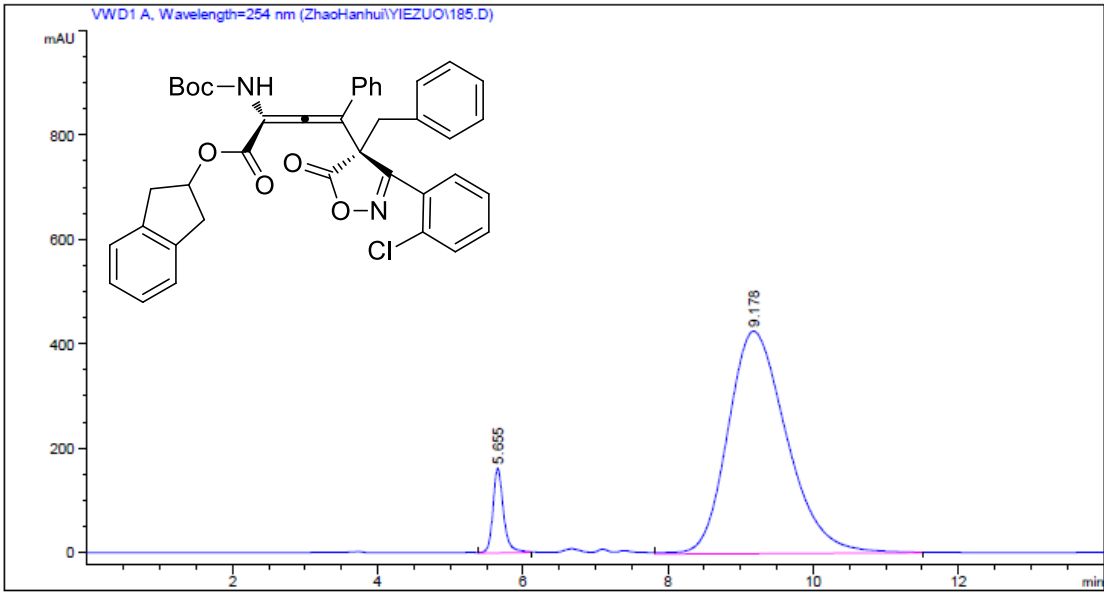


#	Time	Area	Height	Width	Symmetry	Area %
1	12.013	22966.6	799.2	0.4789	0.84	97.022
2	13.203	704.9	22.2	0.5286	0.643	2.978

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(2-chlorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fp)

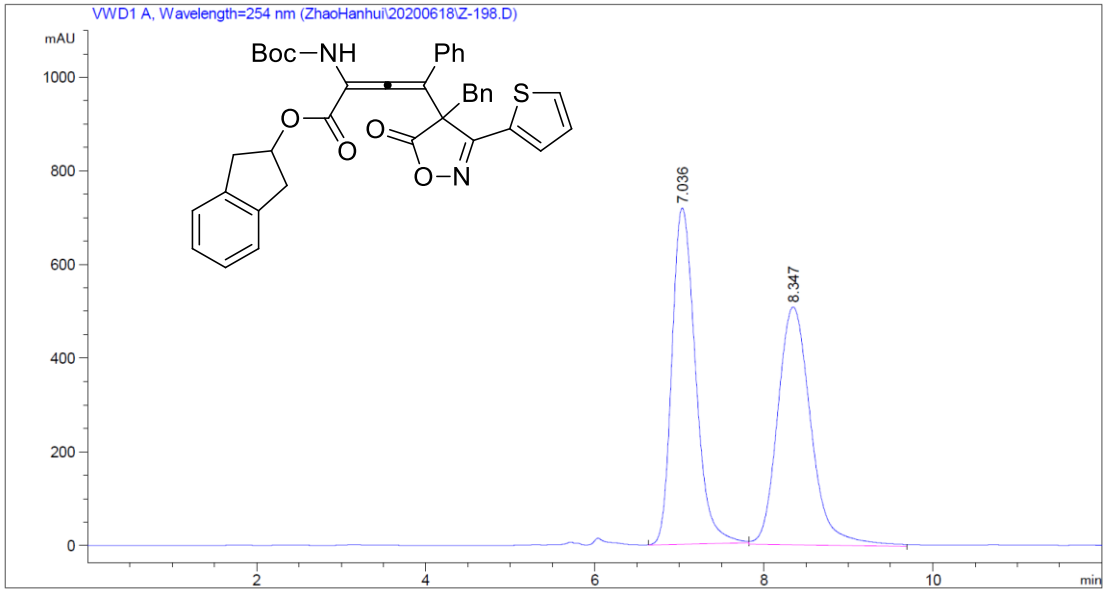


#	Time	Area	Height	Width	Symmetry	Area %
1	5.633	14453.1	1456.7	0.1654	0.727	49.884
2	9.233	14520.4	259.6	0.9321	0.795	50.116

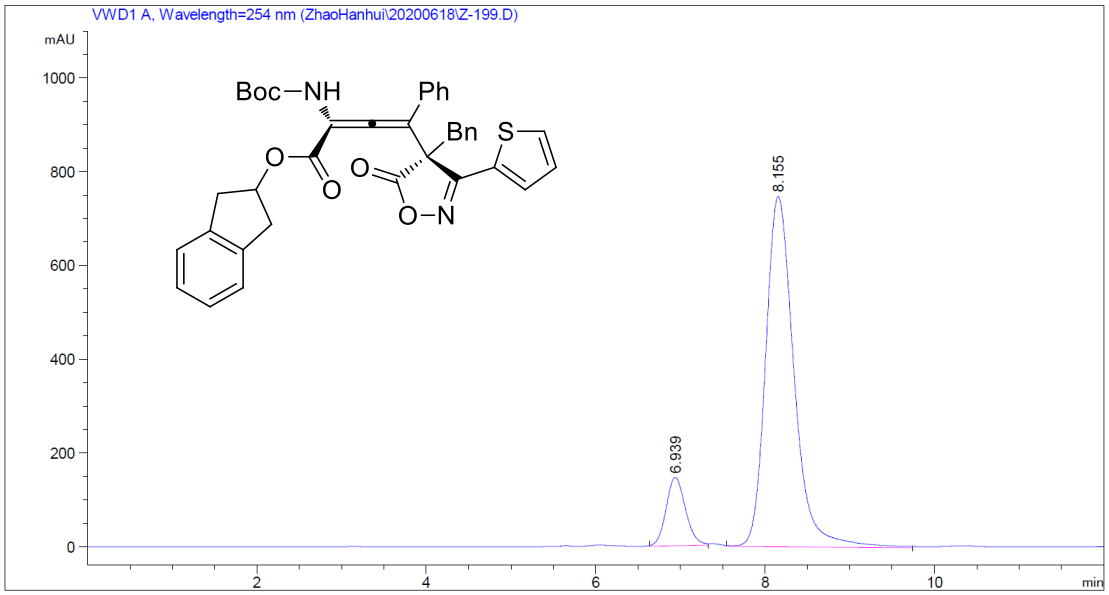


#	Time	Area	Height	Width	Symmetry	Area %
1	5.655	1647.3	162.2	0.1692	0.794	6.414
2	9.178	24033.7	426.3	0.9396	0.753	93.586

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-5-oxo-3-(thiophen-2-yl)-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fq)

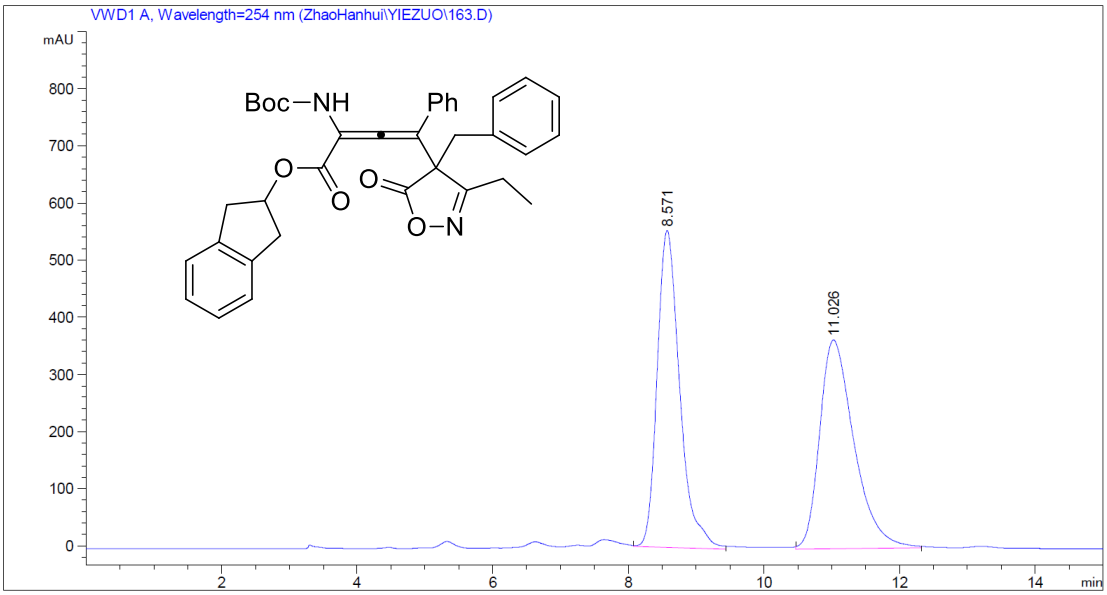


#	Time	Area	Height	Width	Symmetry	Area %
1	7.036	13895.7	718.5	0.3223	0.744	49.961
2	8.347	13917.1	508.3	0.4563	0.822	50.039

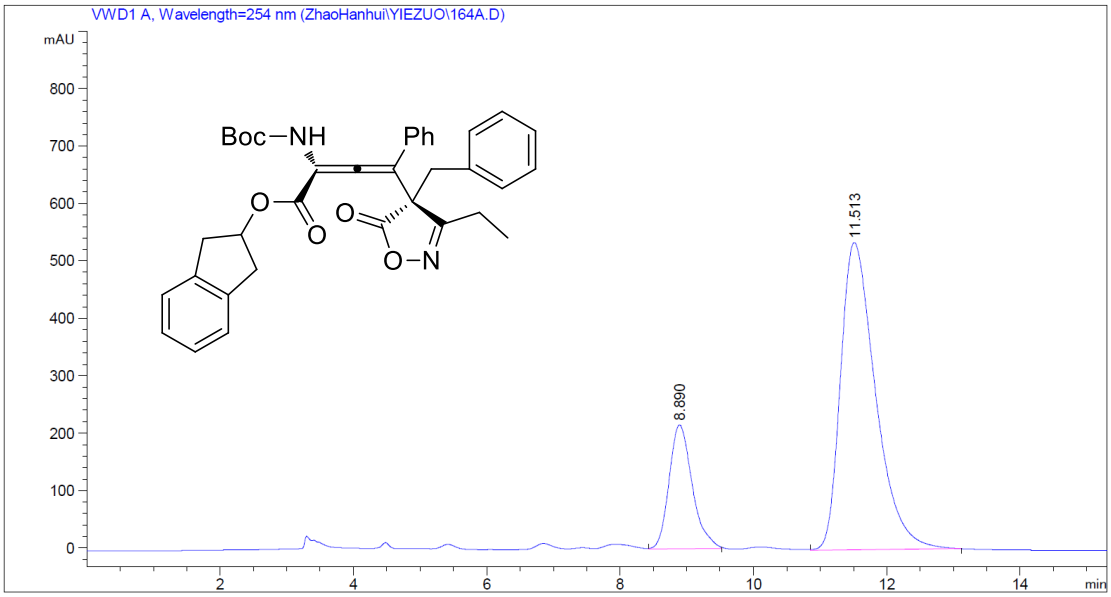


#	Time	Area	Height	Width	Symmetry	Area %
1	6.939	2319	146.1	0.2645	0.867	11.759
2	8.155	17402.1	746.9	0.3883	0.754	88.241

(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-ethyl-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fr)



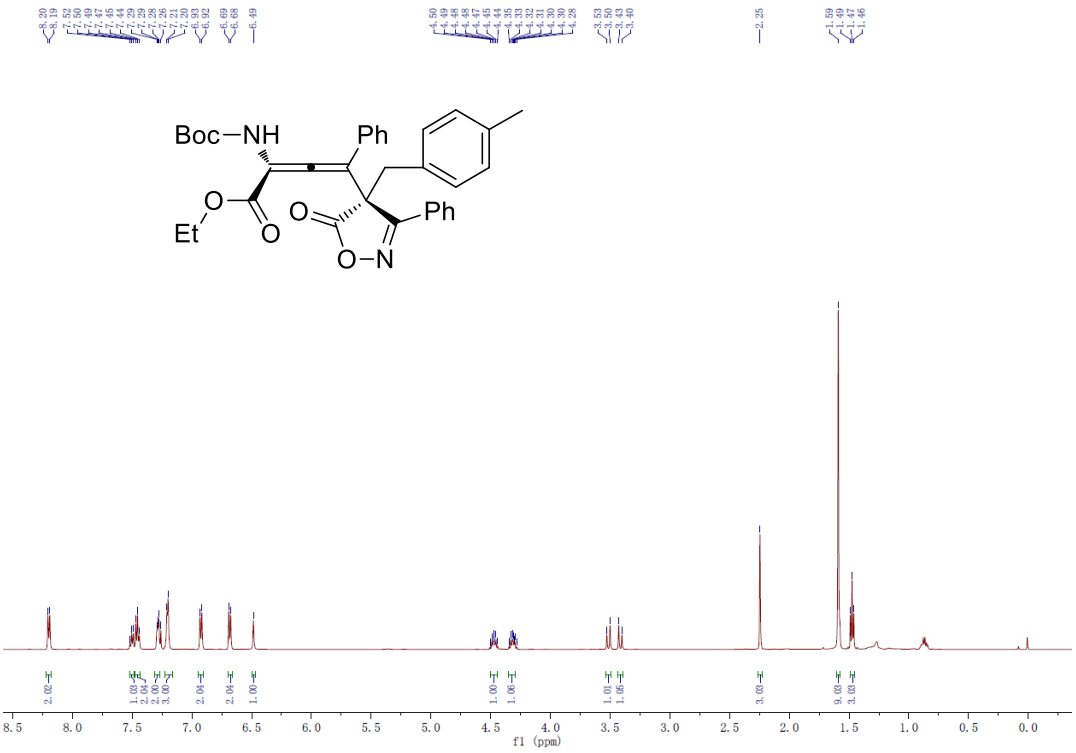
#	Time	Area	Height	Width	Symmetry	Area %
1	8.571	12819	554.5	0.3853	0.748	49.903
2	11.026	12868.8	365	0.5876	0.65	50.097

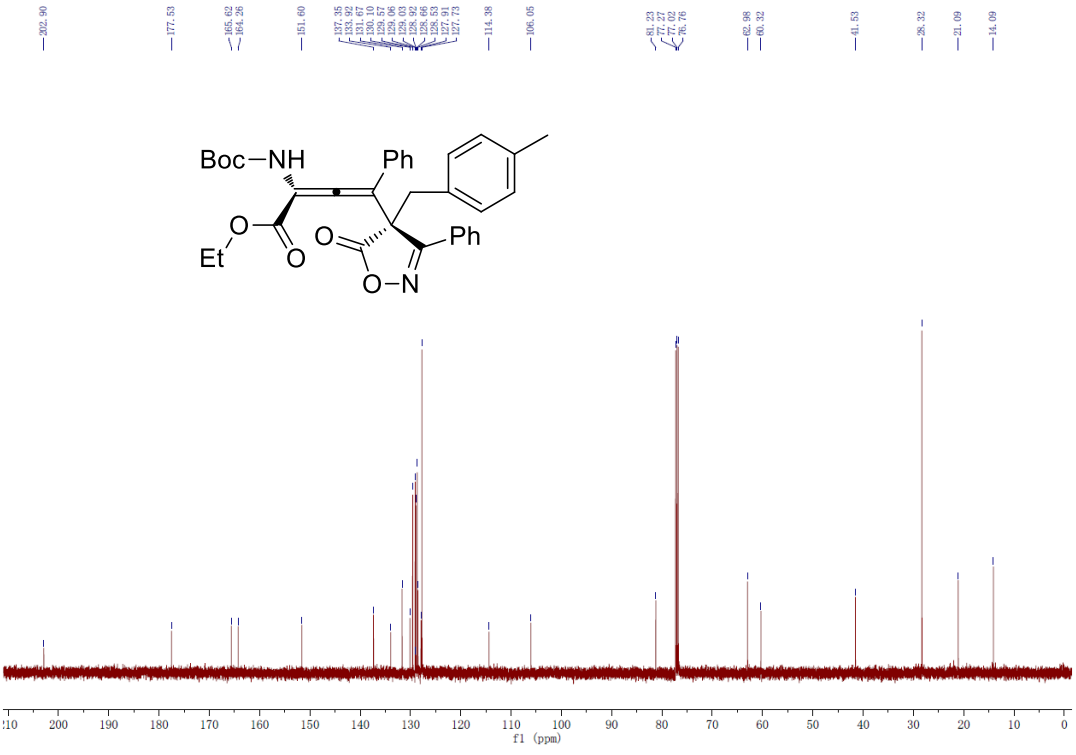


#	Time	Area	Height	Width	Symmetry	Area %
1	8.89	5208.5	215.9	0.4021	0.795	21.073
2	11.513	19508.3	535.3	0.6073	0.628	78.927

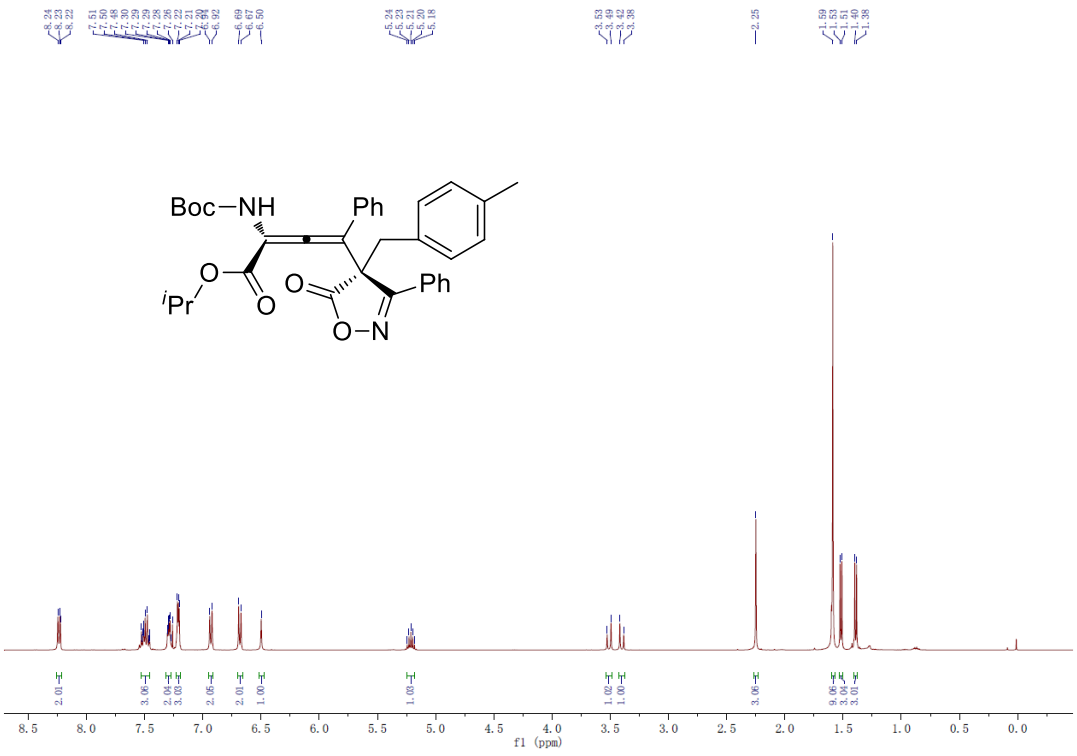
I: NMR Analysis

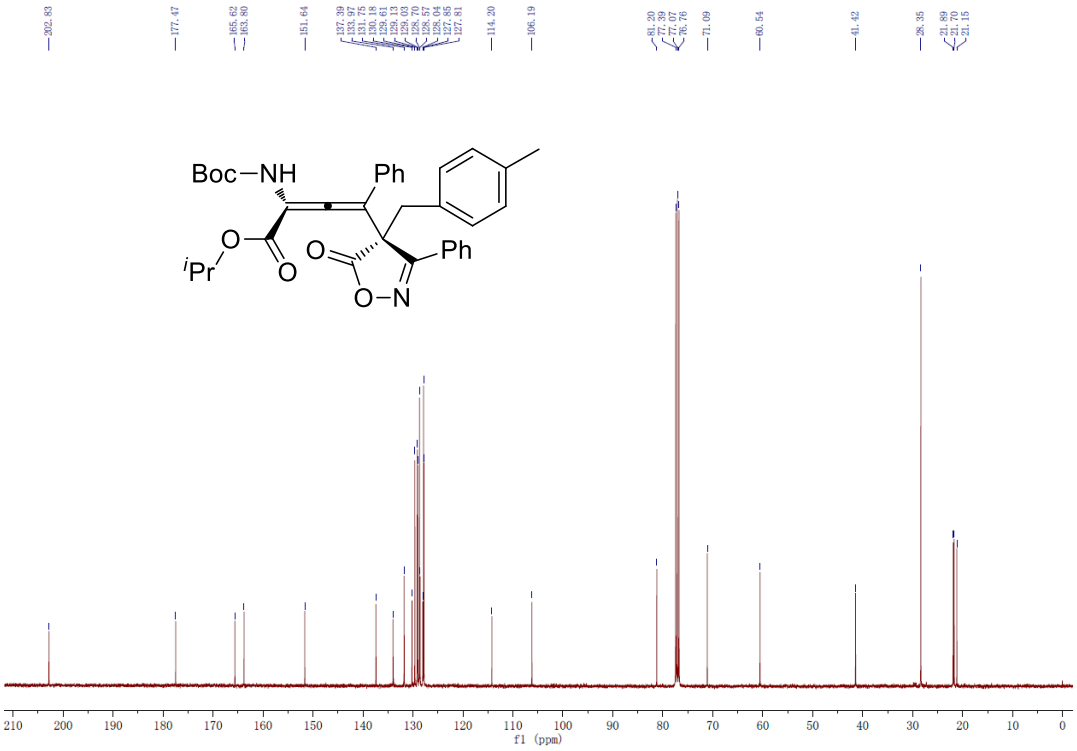
(*R*)-ethyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3aa)



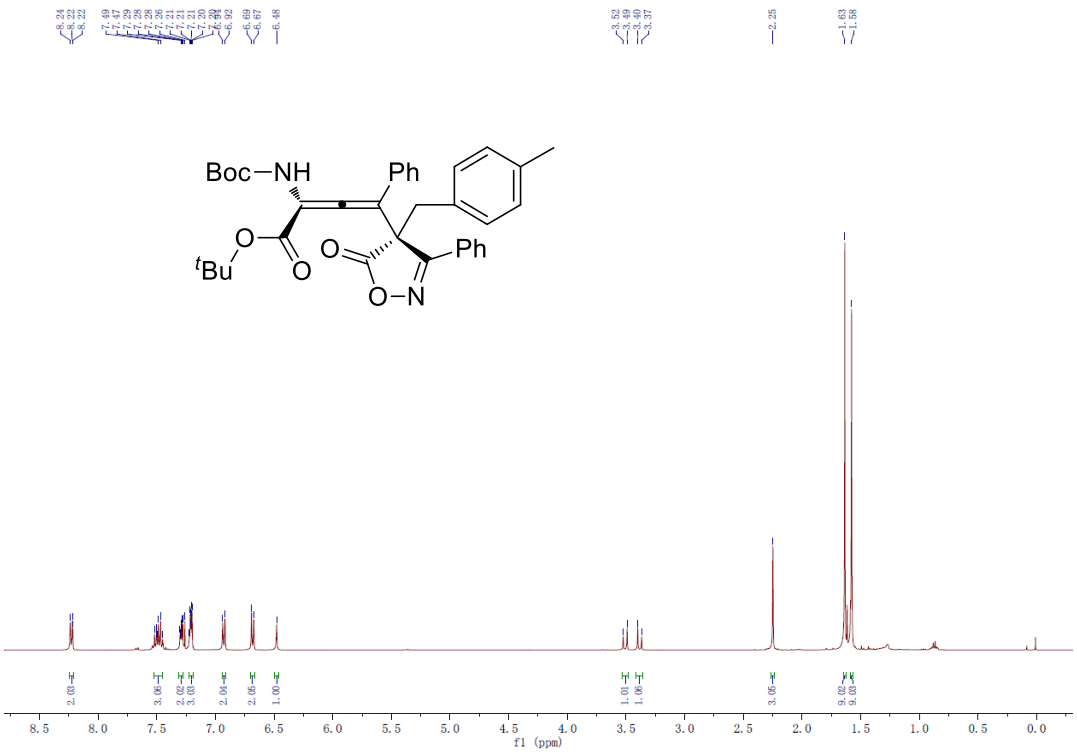


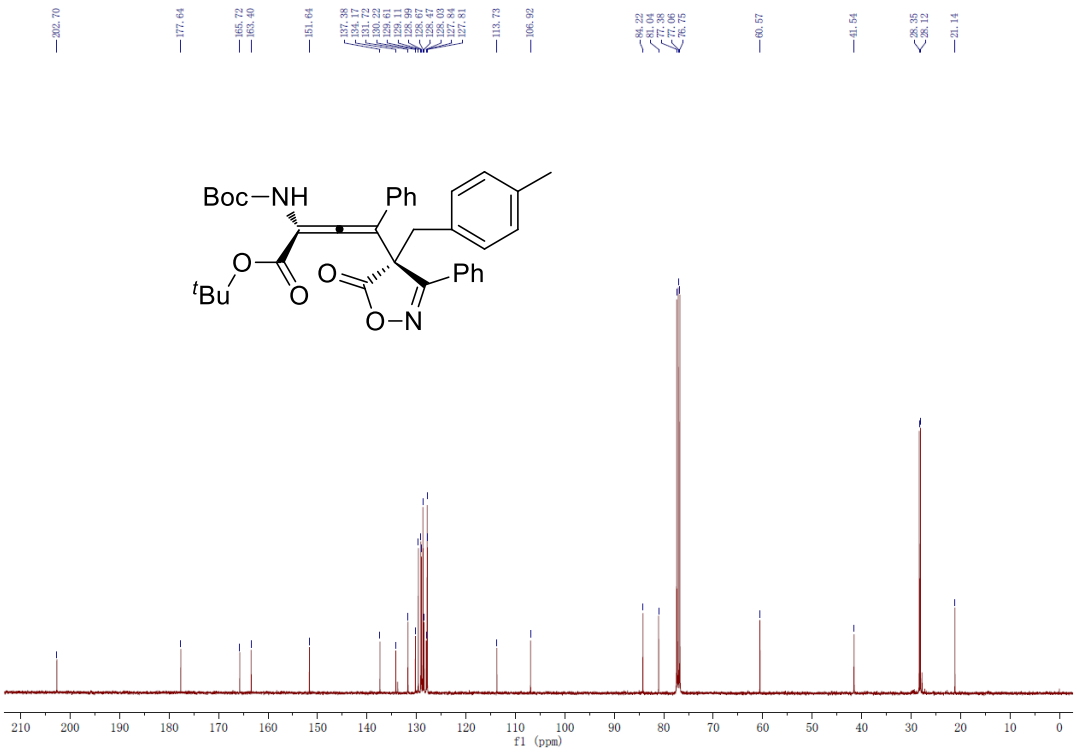
(*R*)-isopropyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ba)



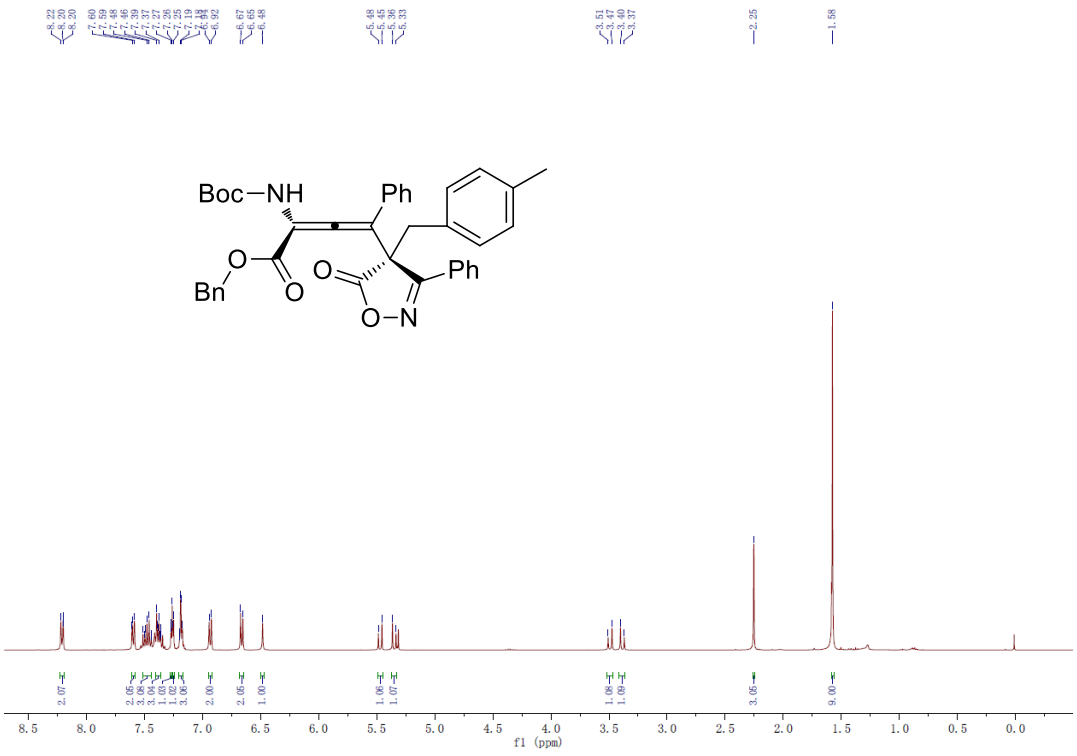


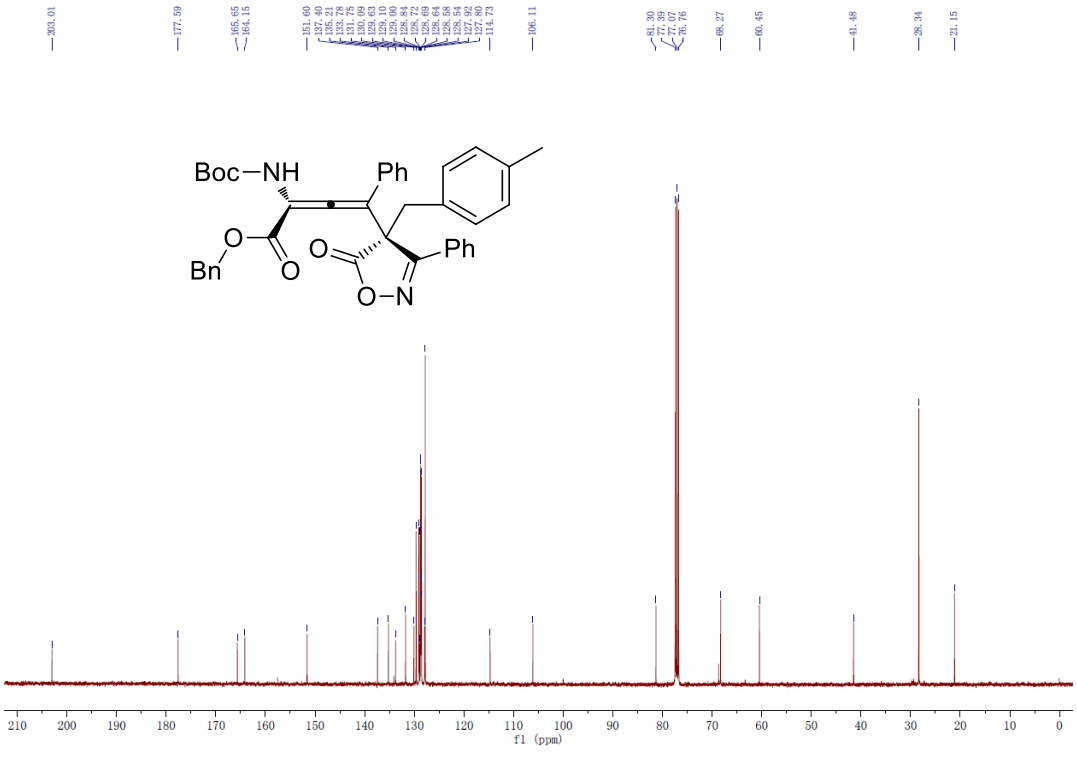
(*R*)-*tert*-butyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ca)



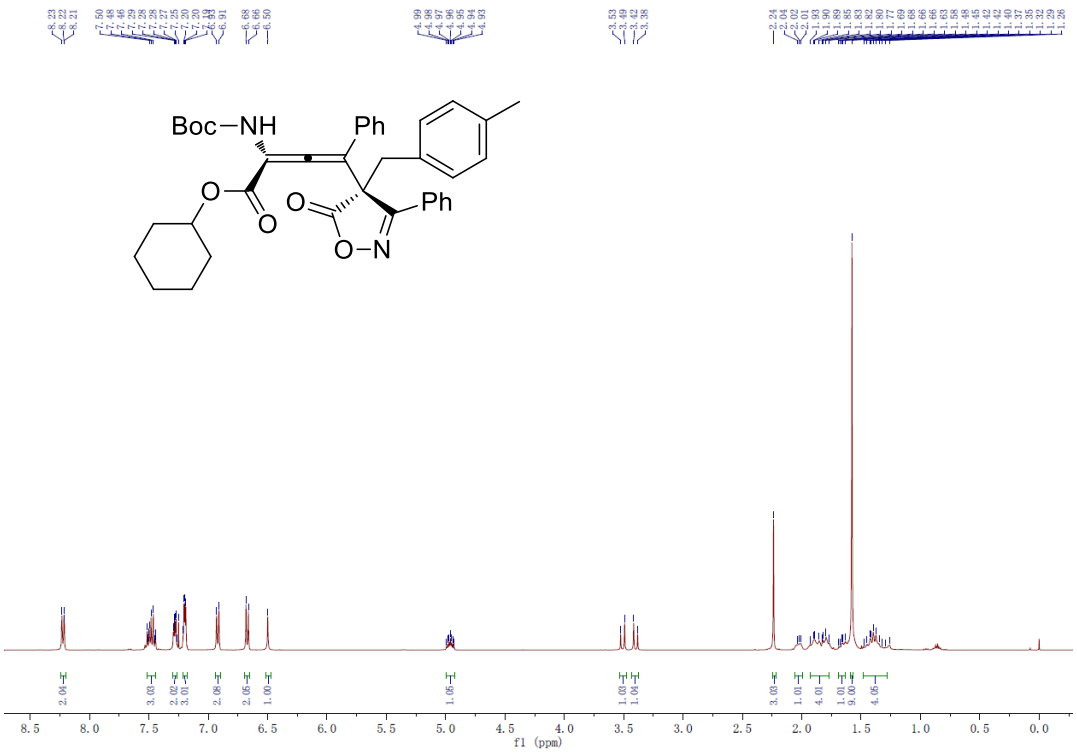


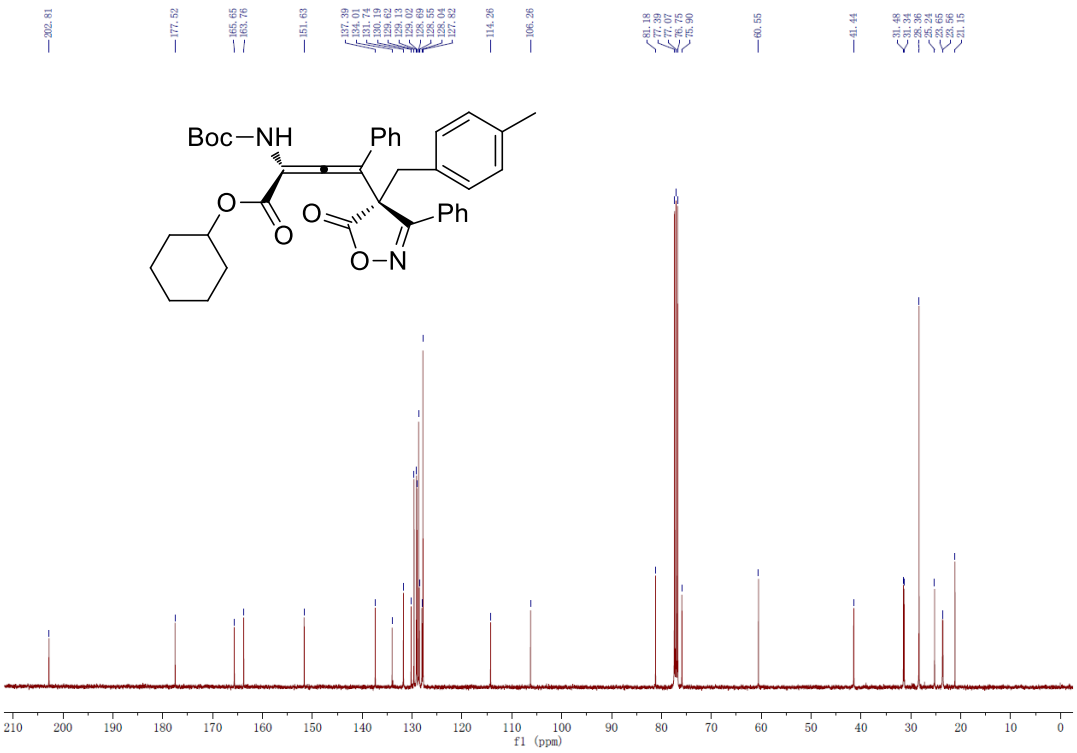
(*R*)-benzyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3da)



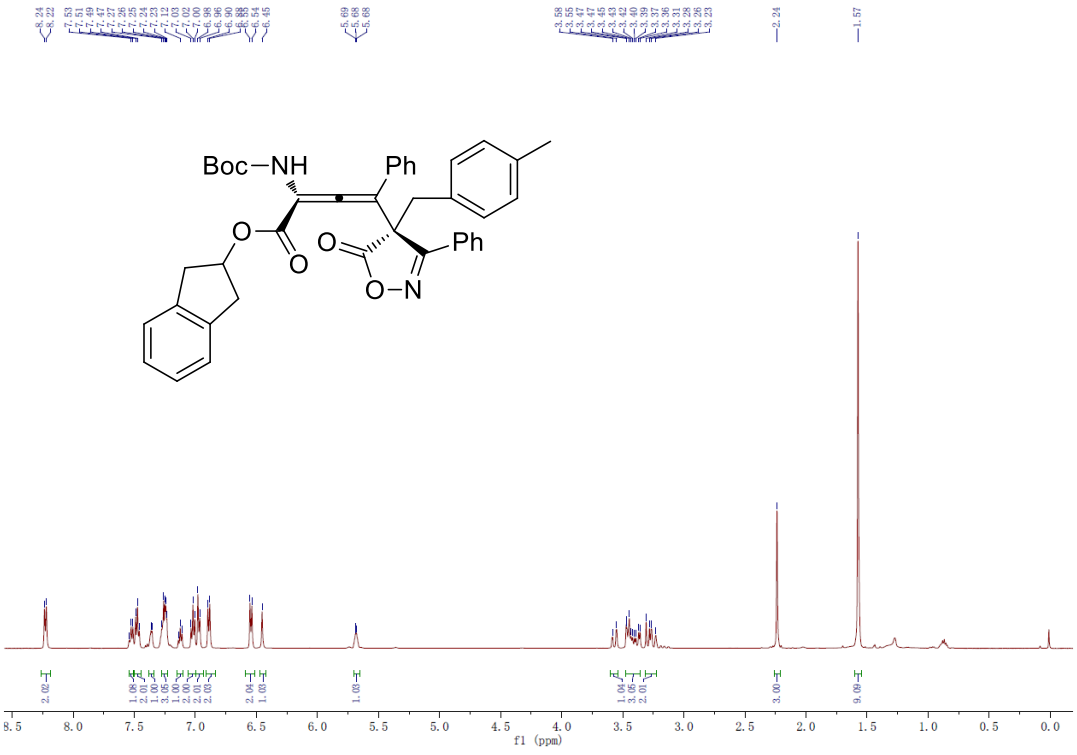


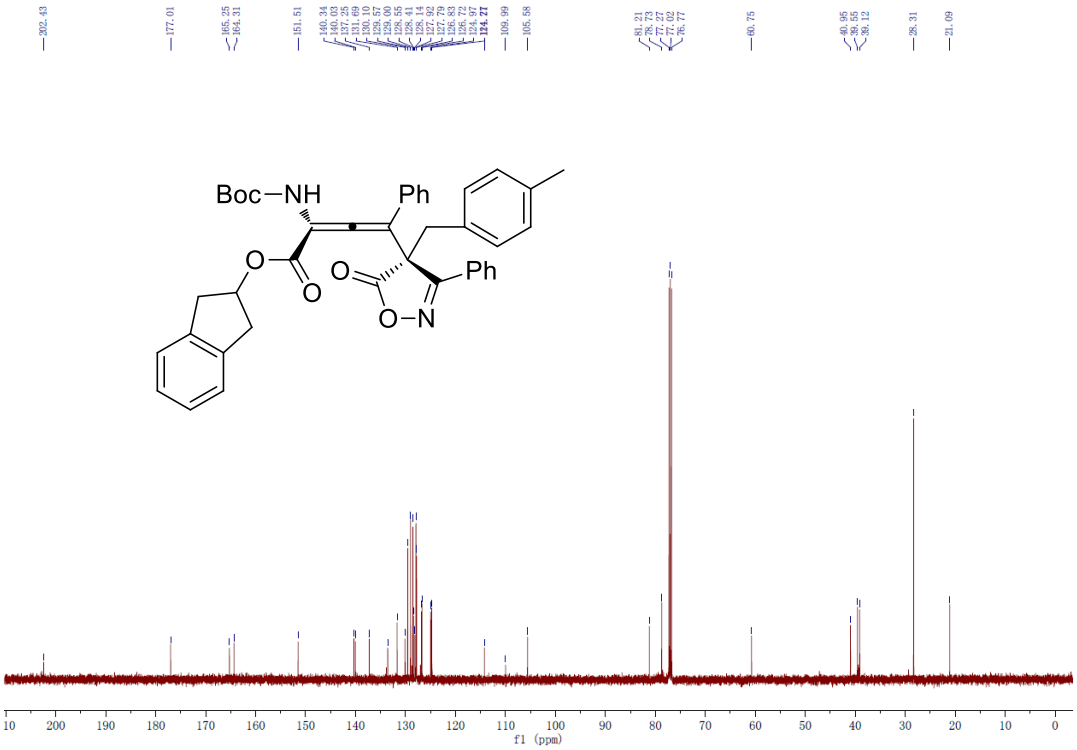
(*R*)-cyclohexyl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ea)



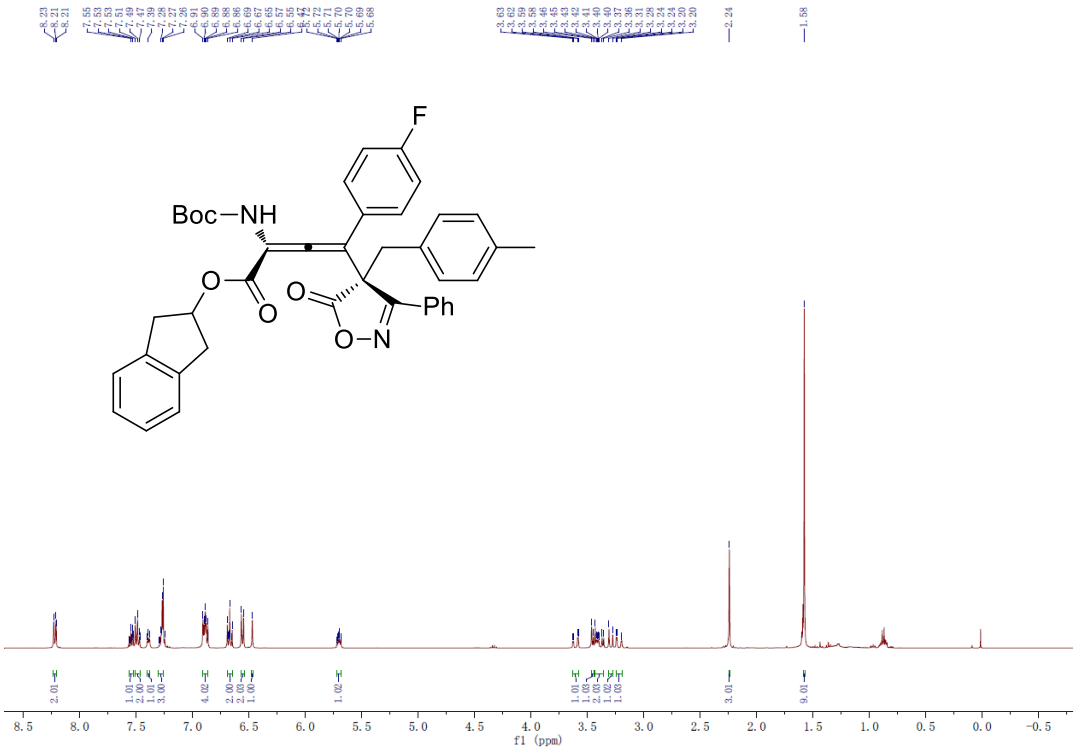


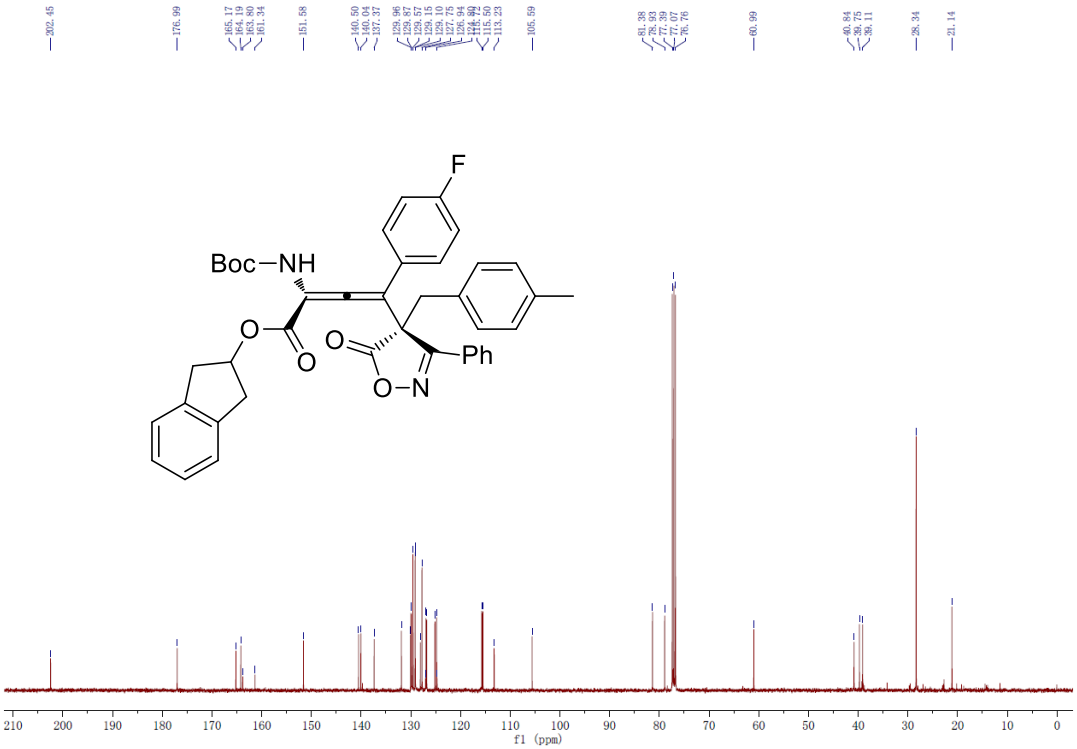
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fa)



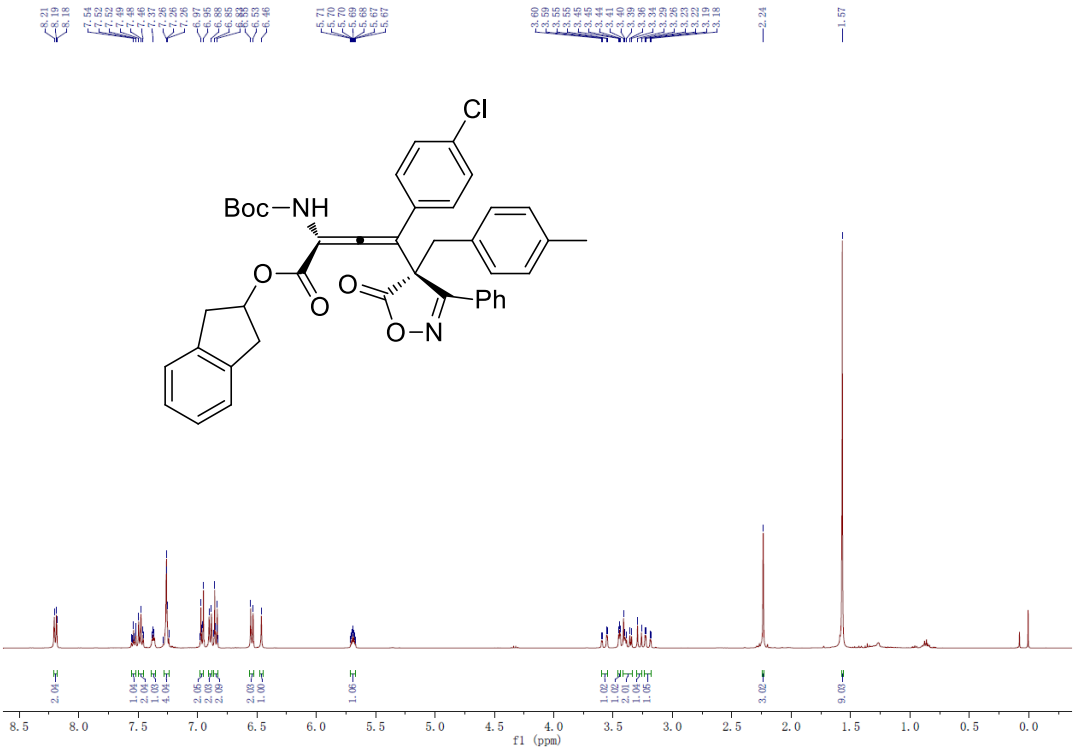


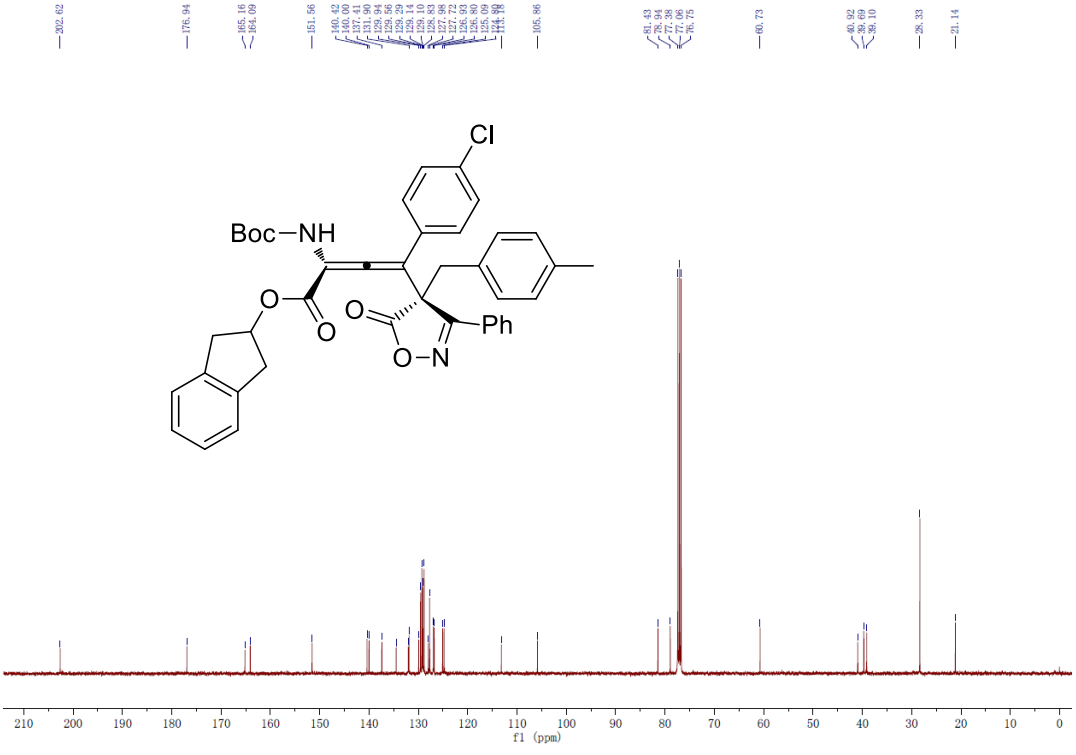
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-fluorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ga)



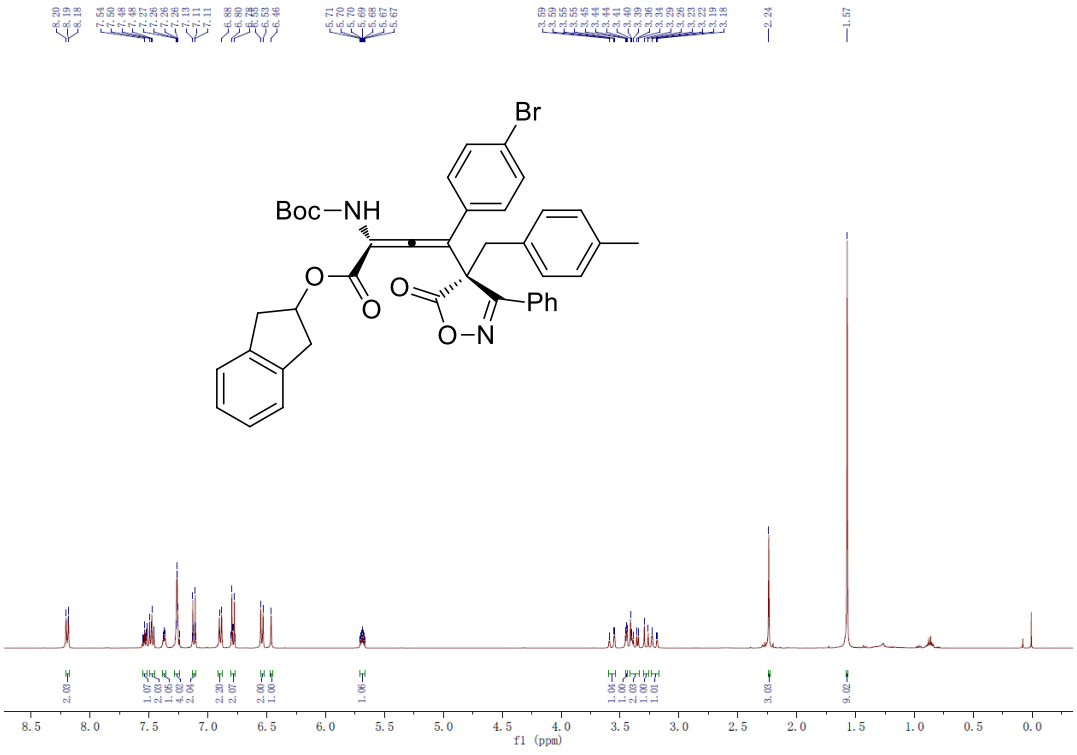


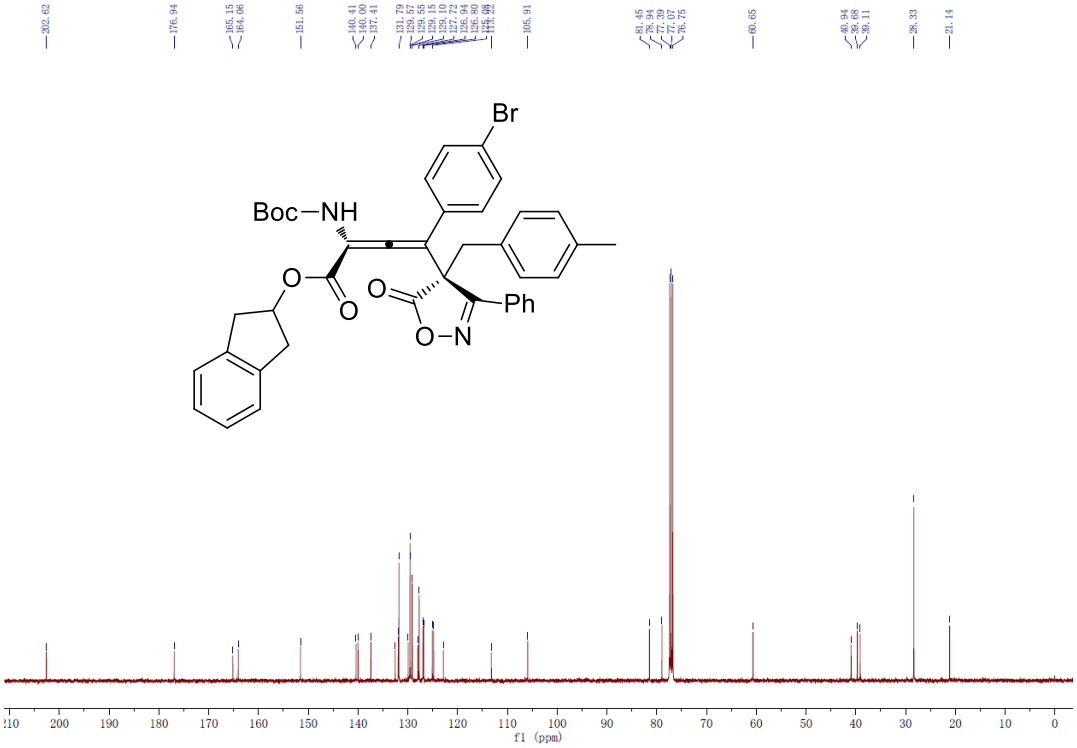
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-chlorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ha)



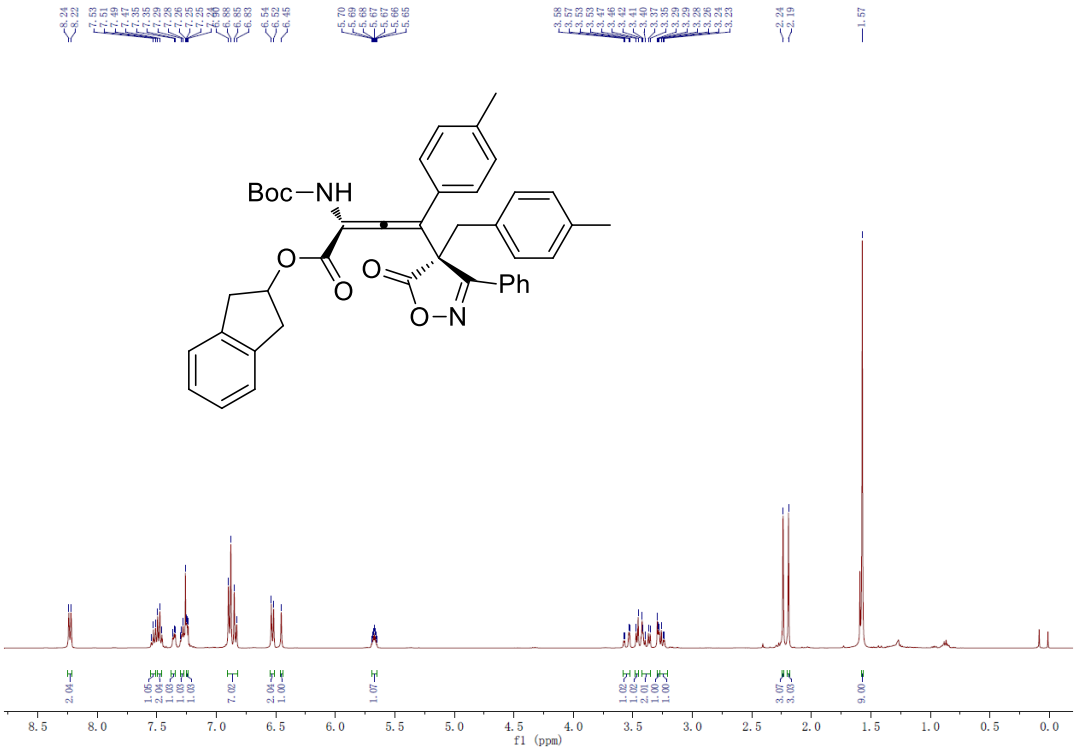


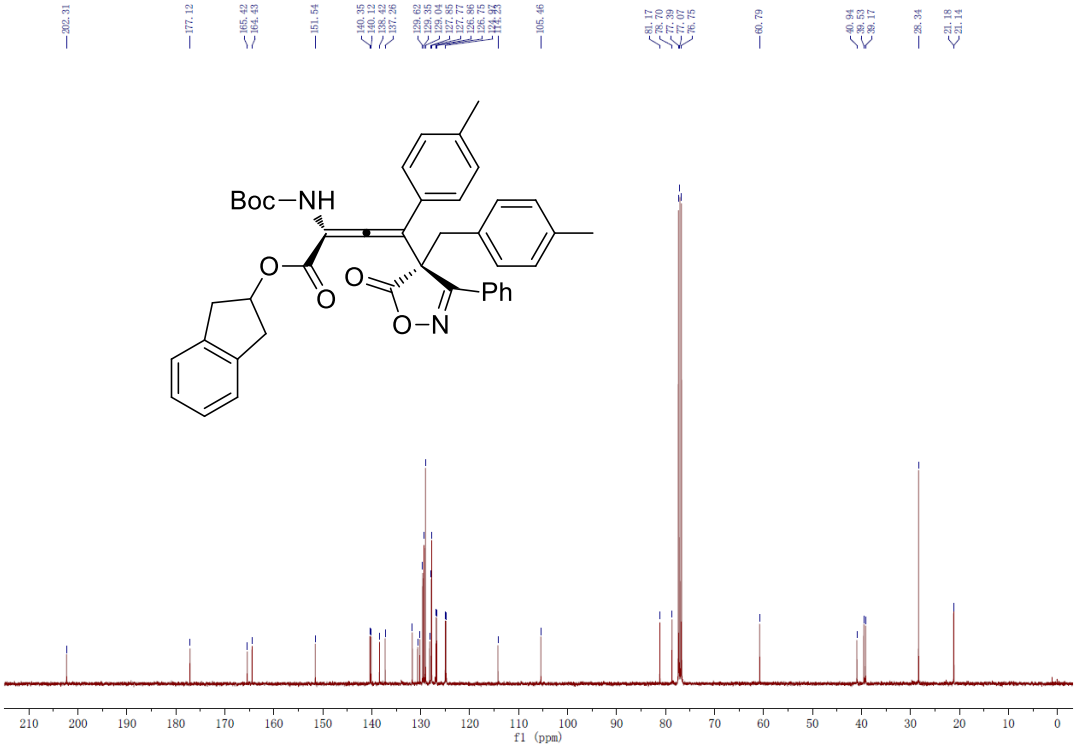
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-(4-bromophenyl)-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ia)



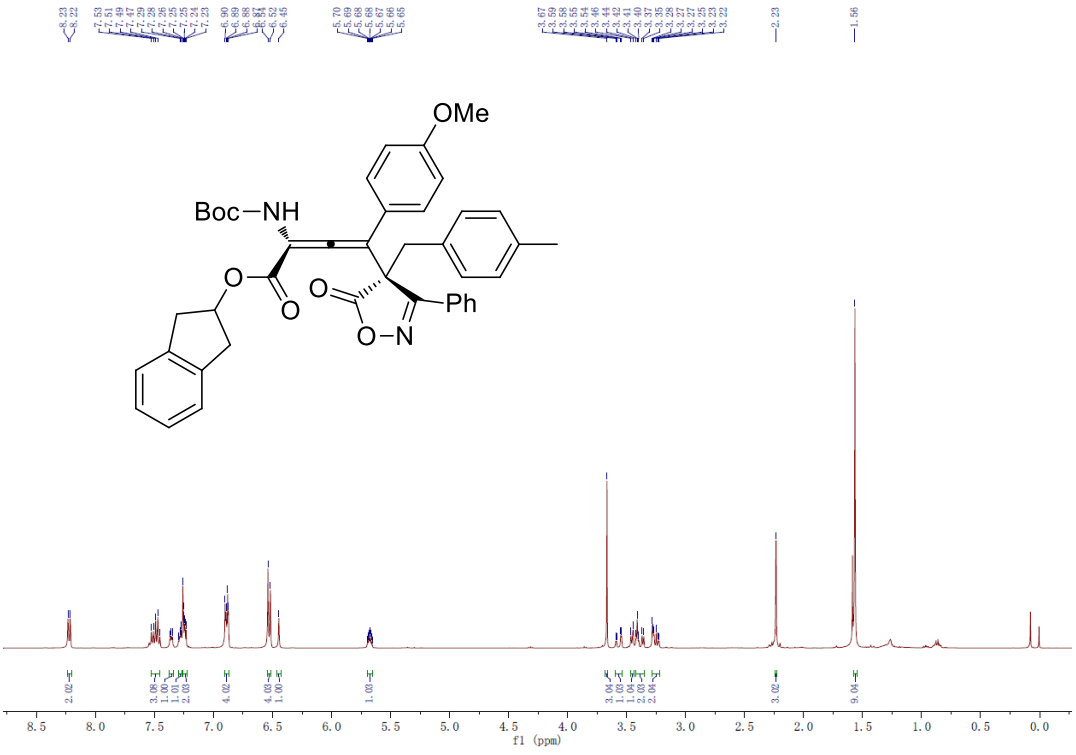


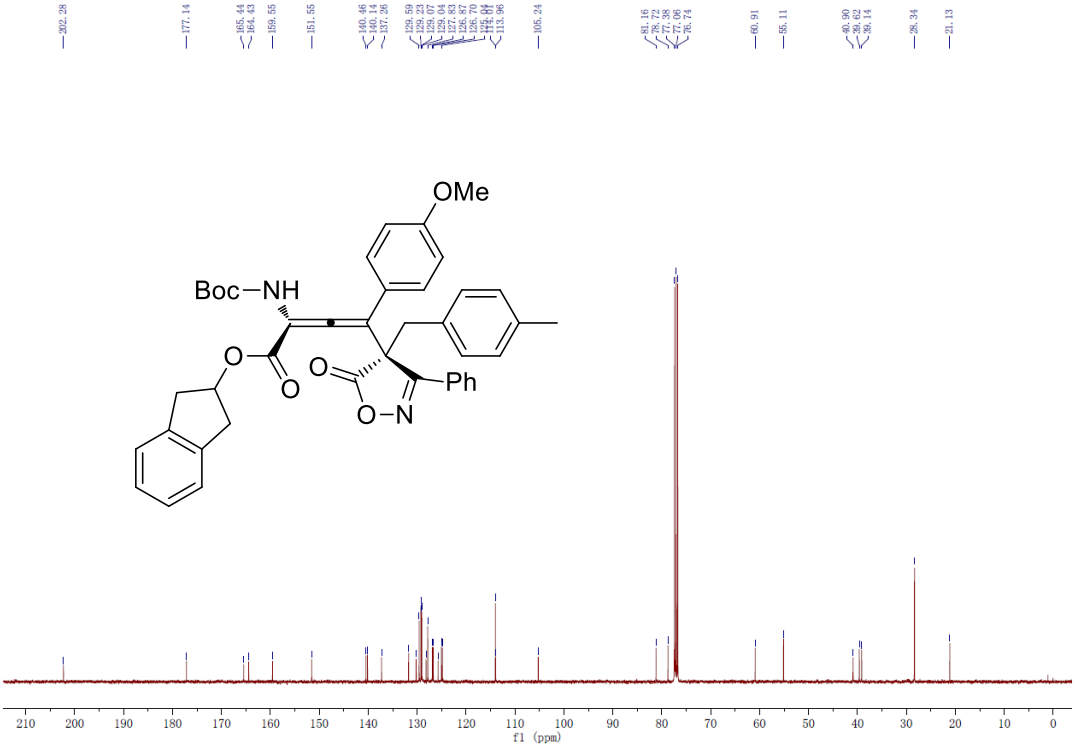
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(*p*-tolyl)buta-2,3-dienoate (3ja)



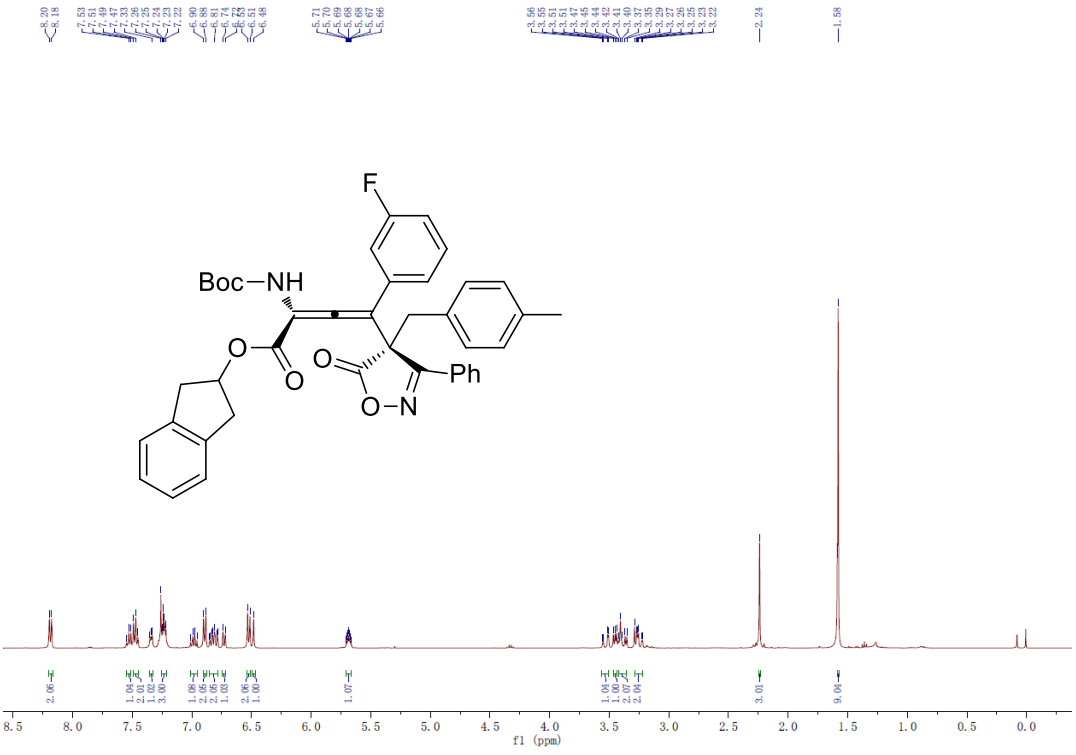


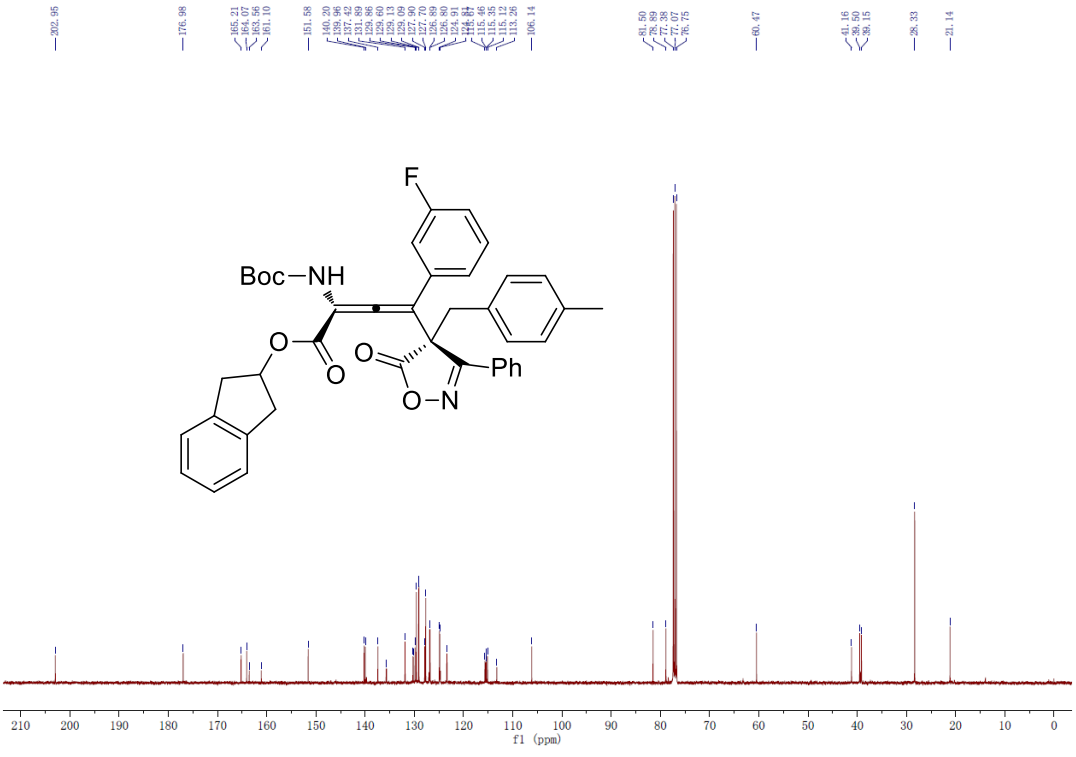
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(4-methoxyphenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ka)



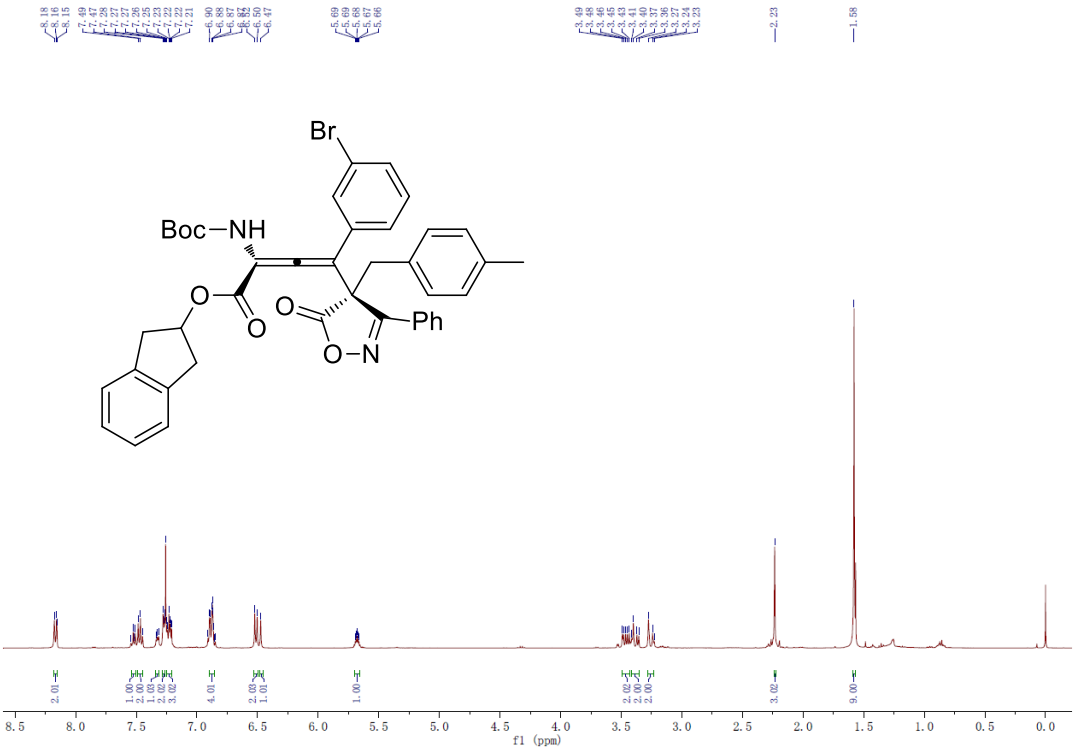


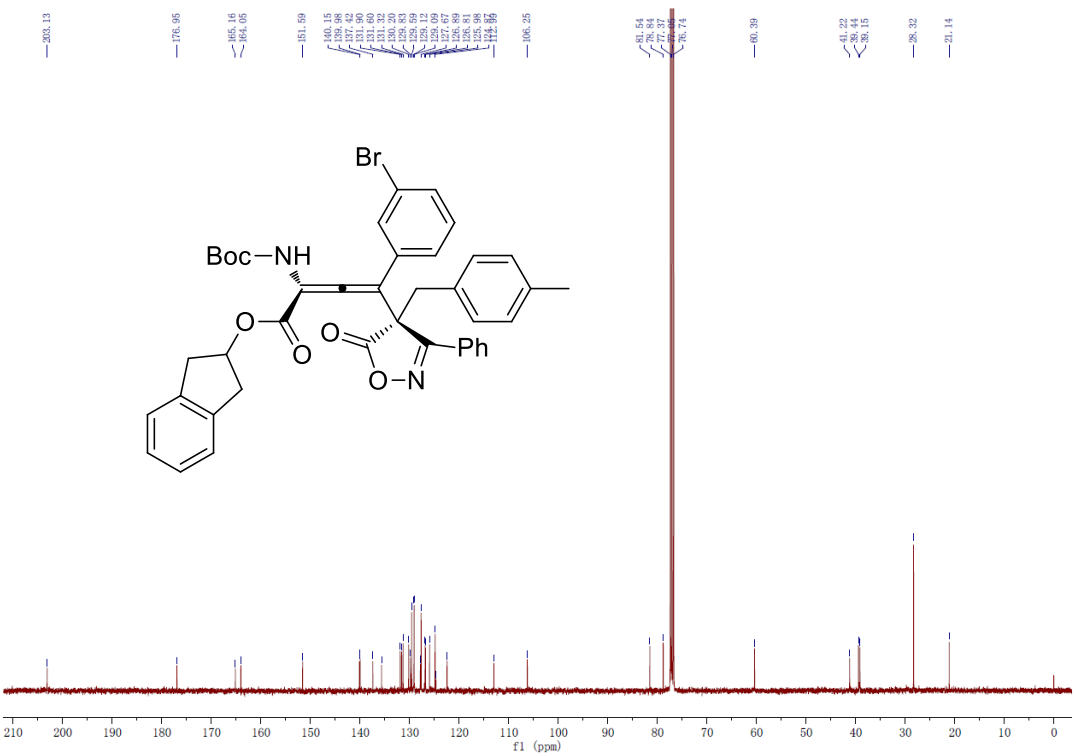
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(3-fluorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3la)



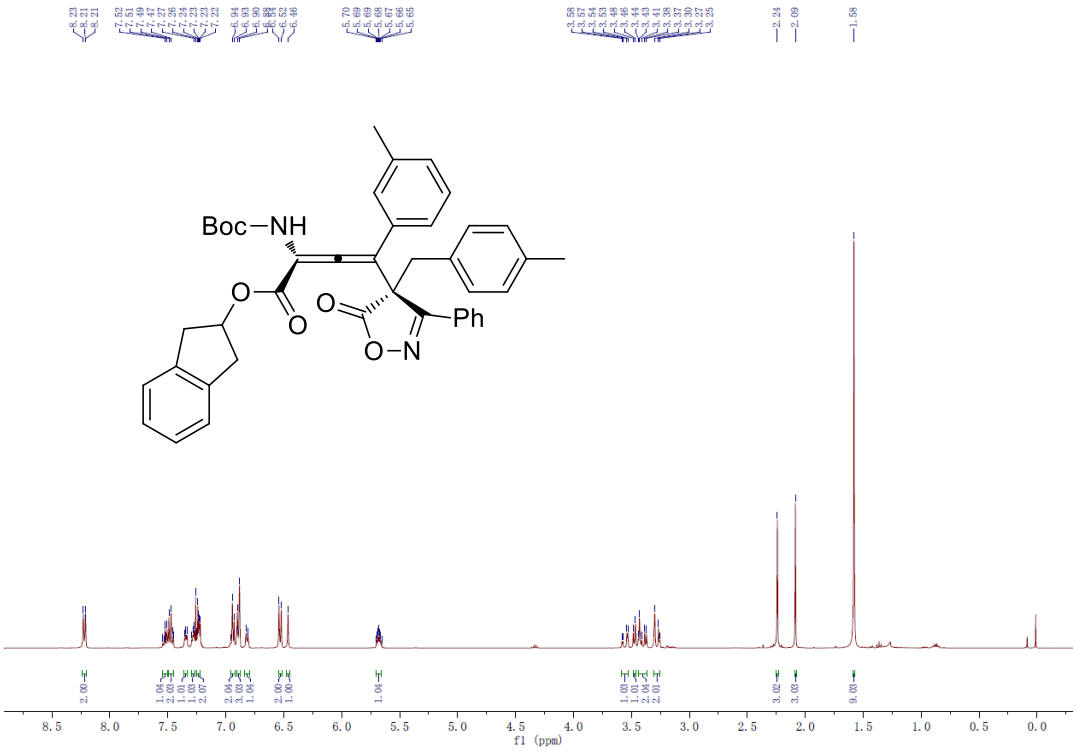


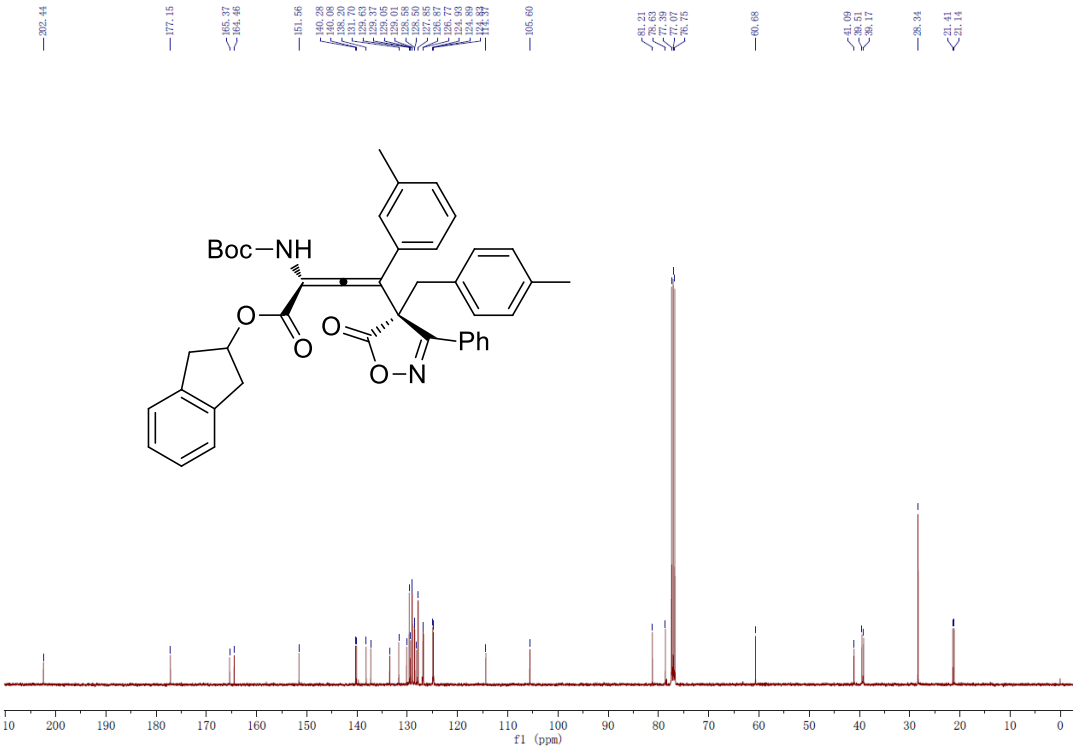
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-(3-bromophenyl)-2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ma)



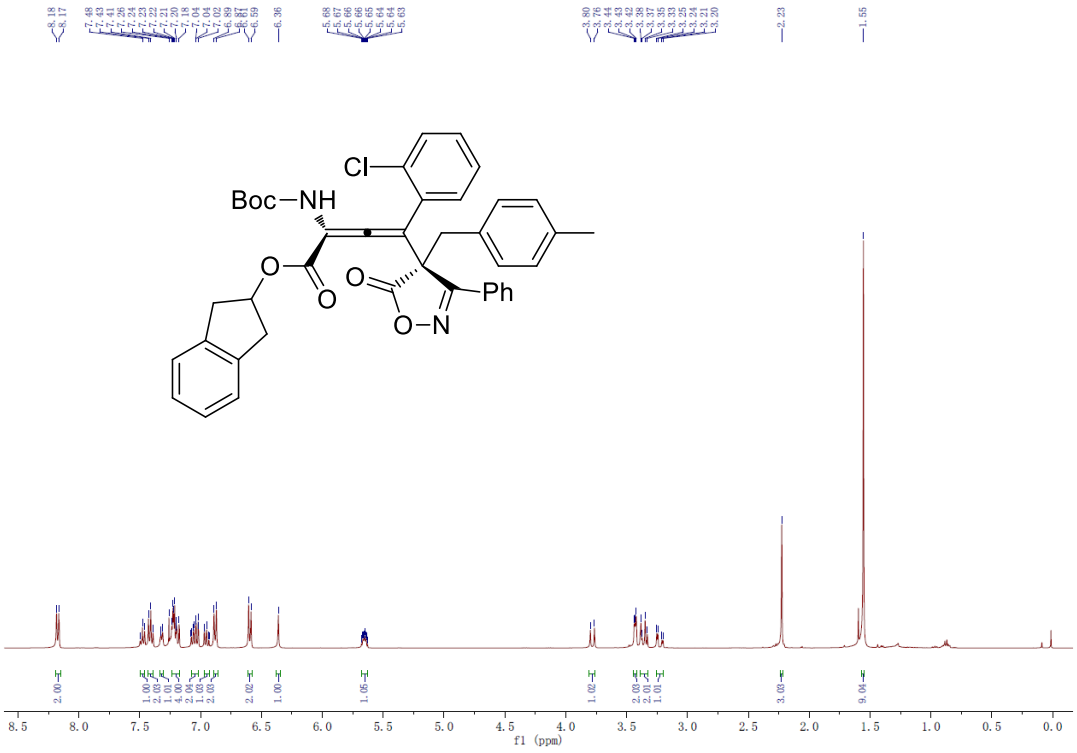


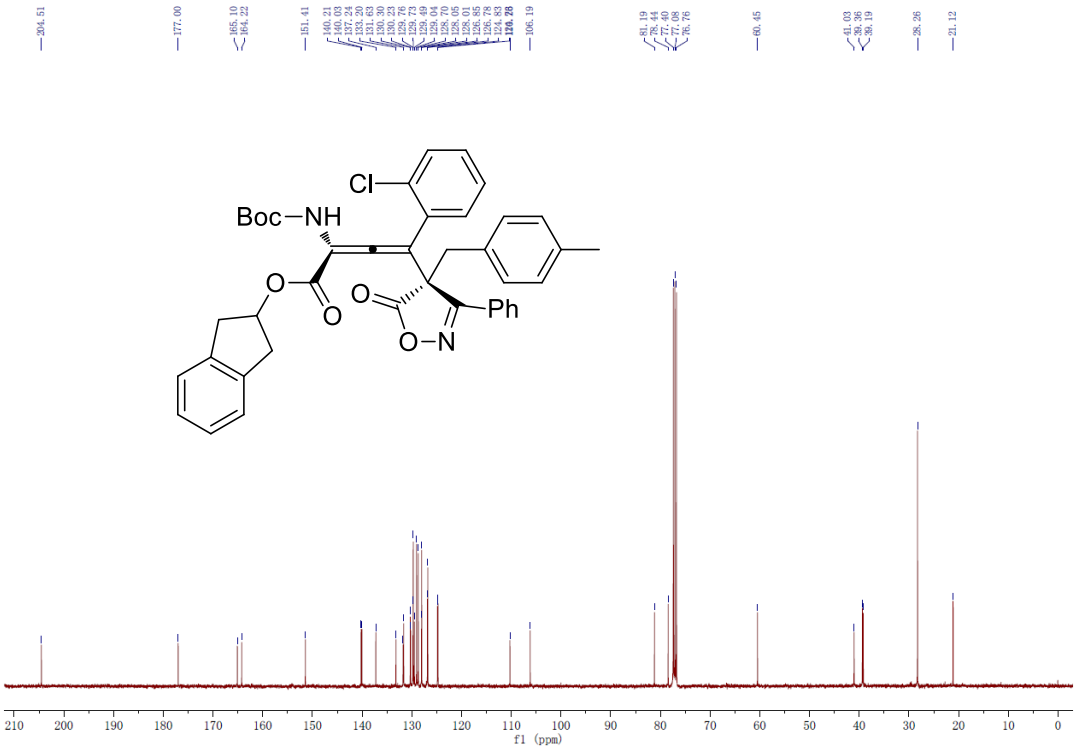
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(*m*-tolyl)buta-2,3-dienoate (3na)



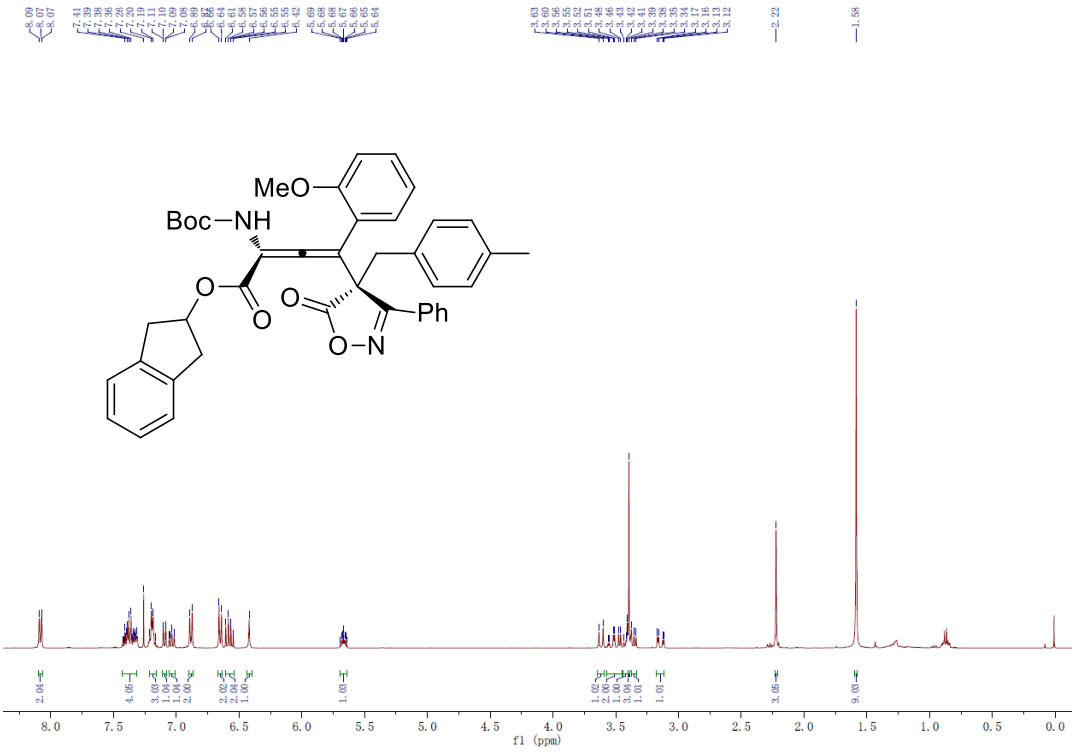


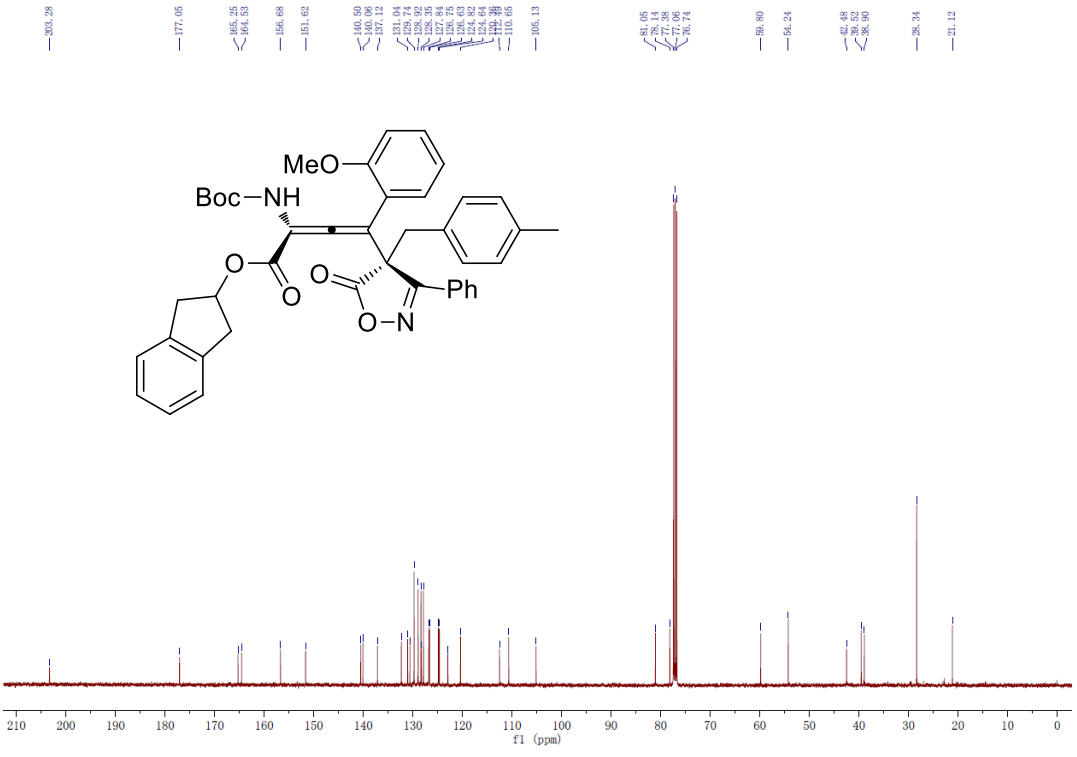
(*S*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(2-chlorophenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3oa)



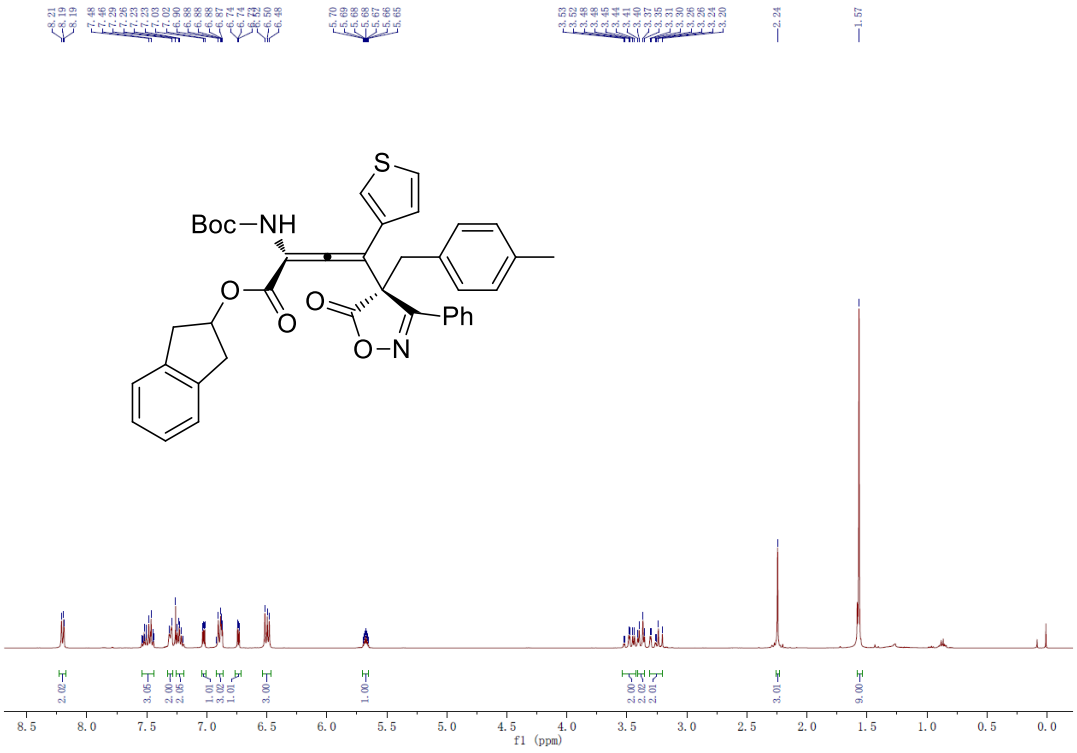


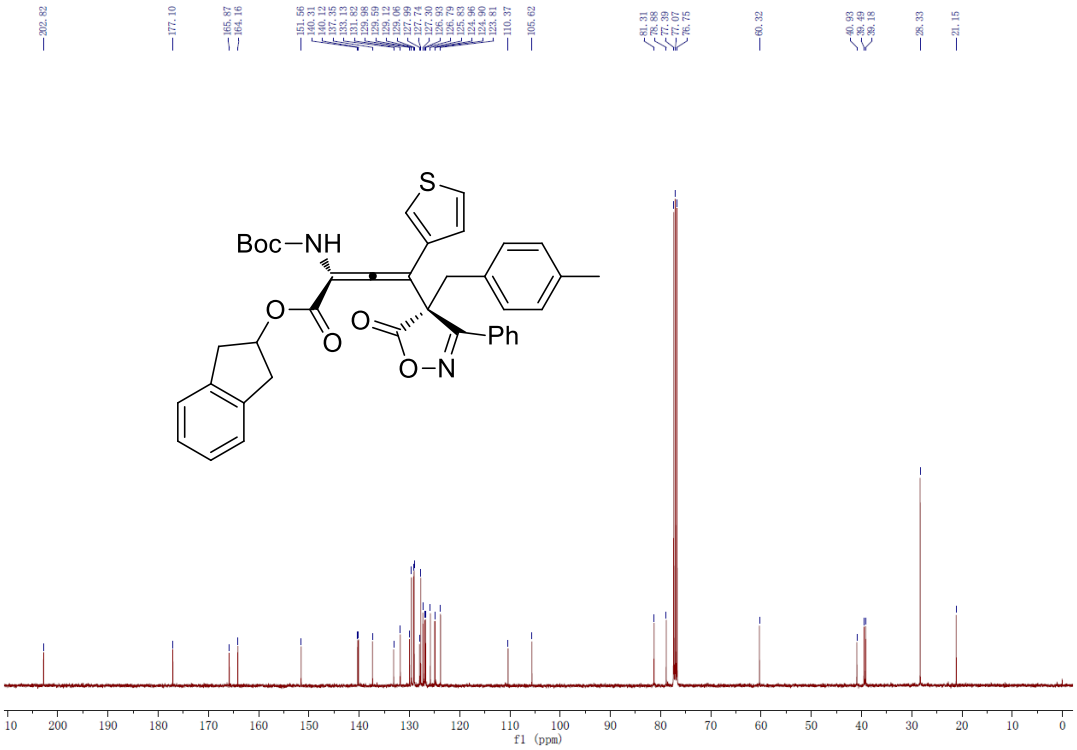
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-(2-methoxyphenyl)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3pa)



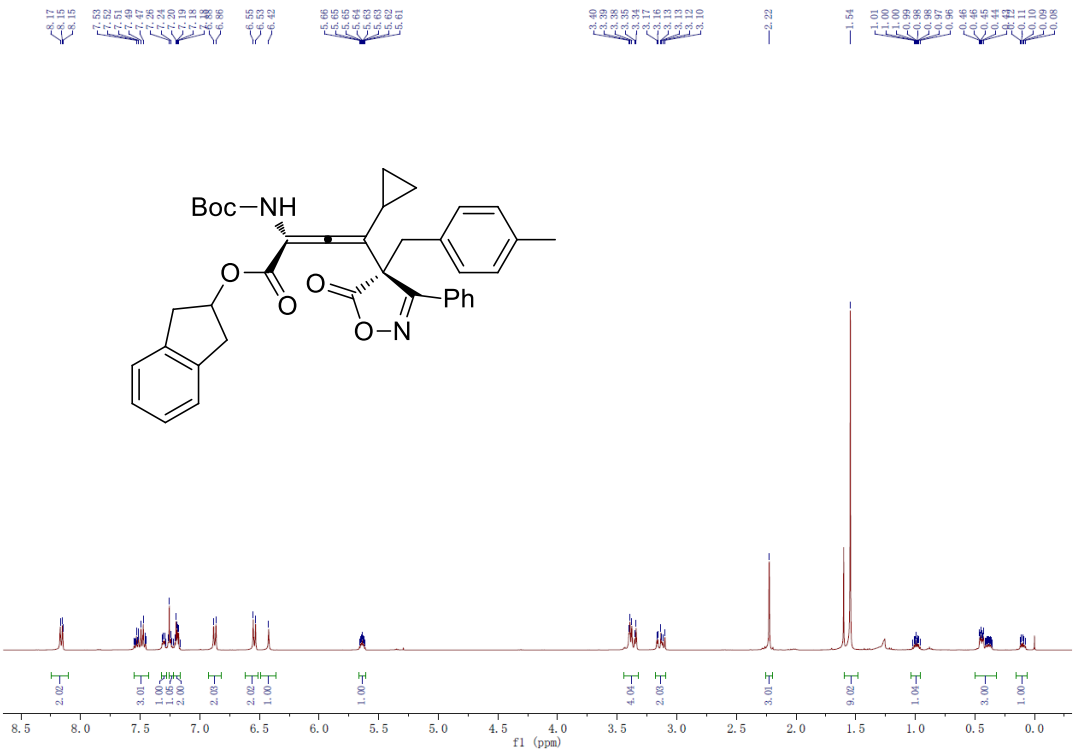


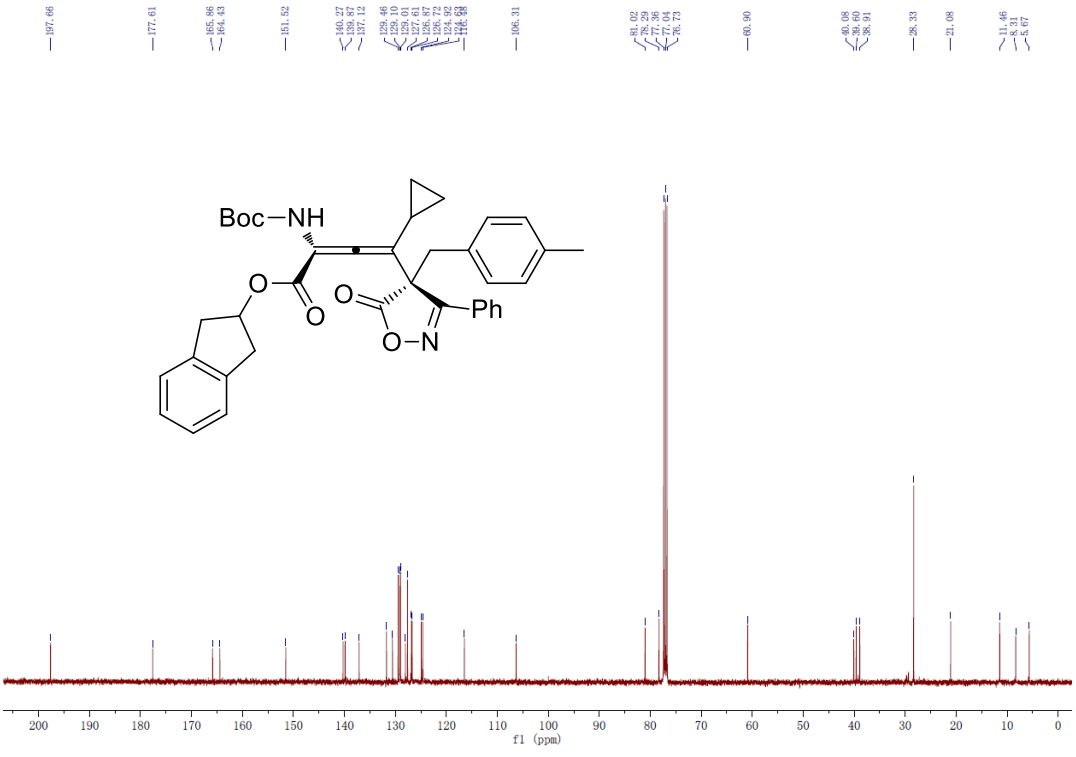
(*S*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-(thiophen-3-yl)buta-2,3-dieno ate (3qa)



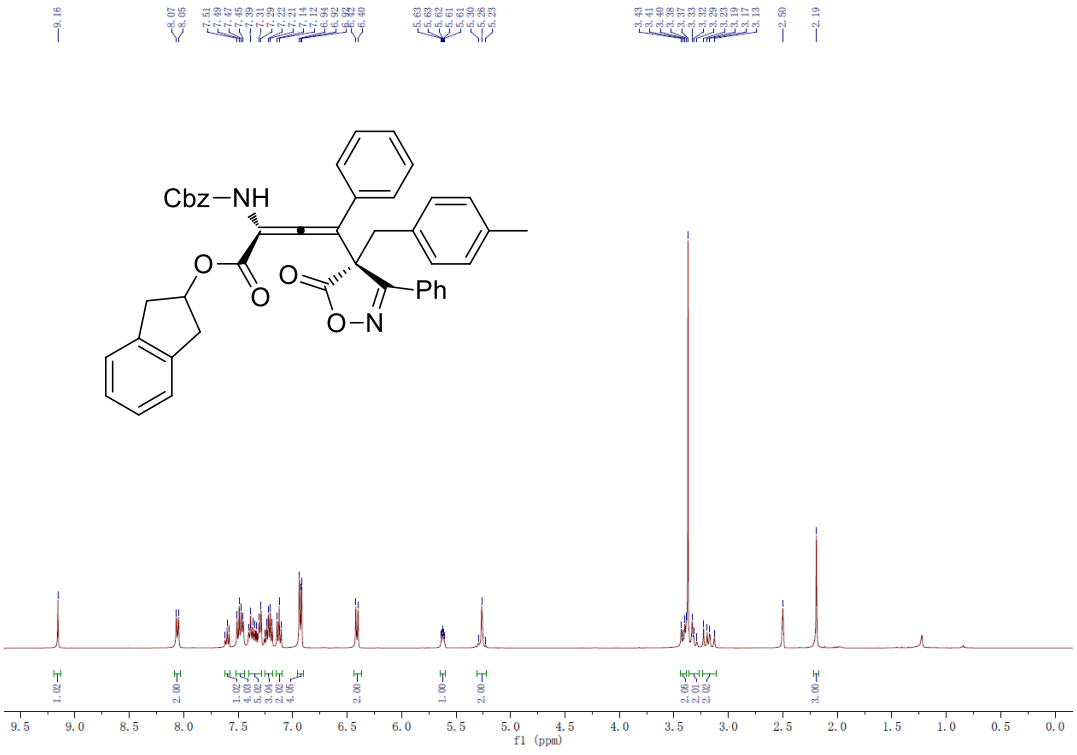


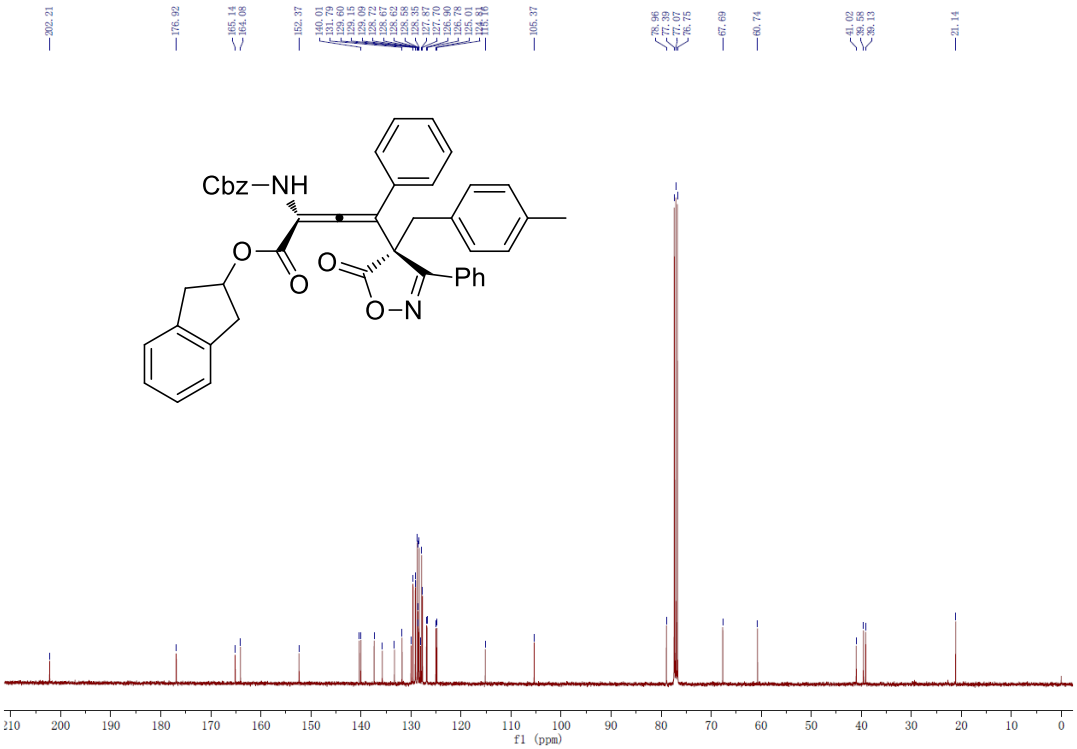
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-cyclopropyl-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)buta-2,3-dienoate (3ra)



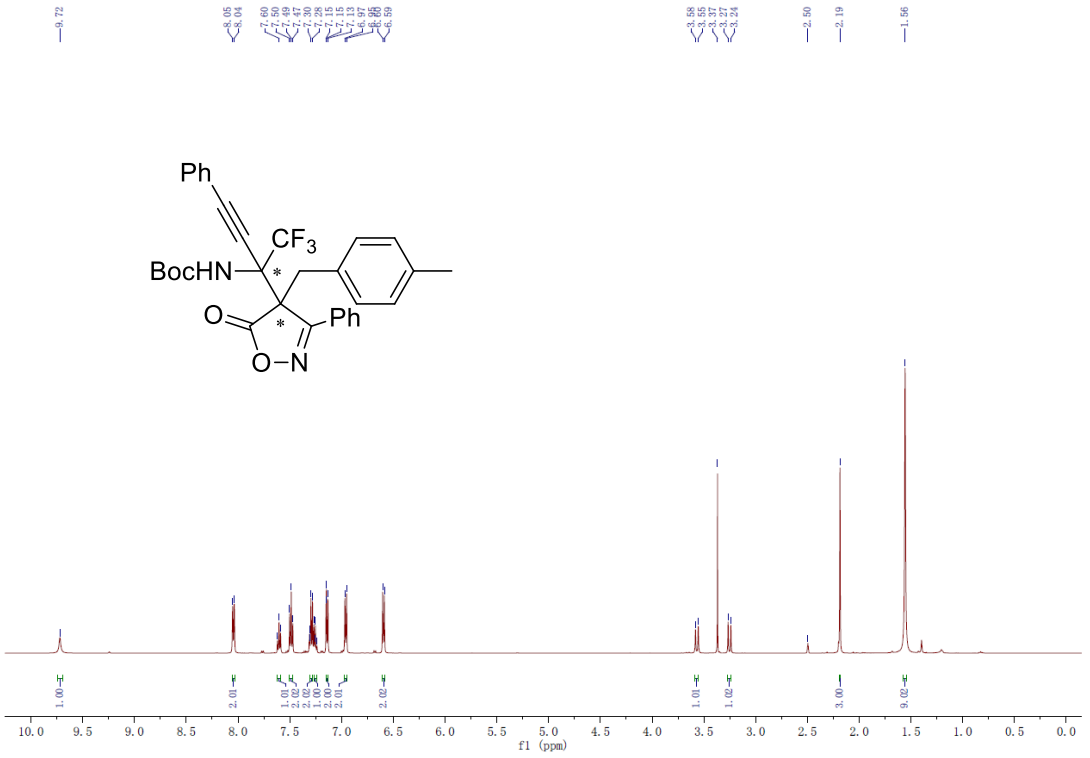


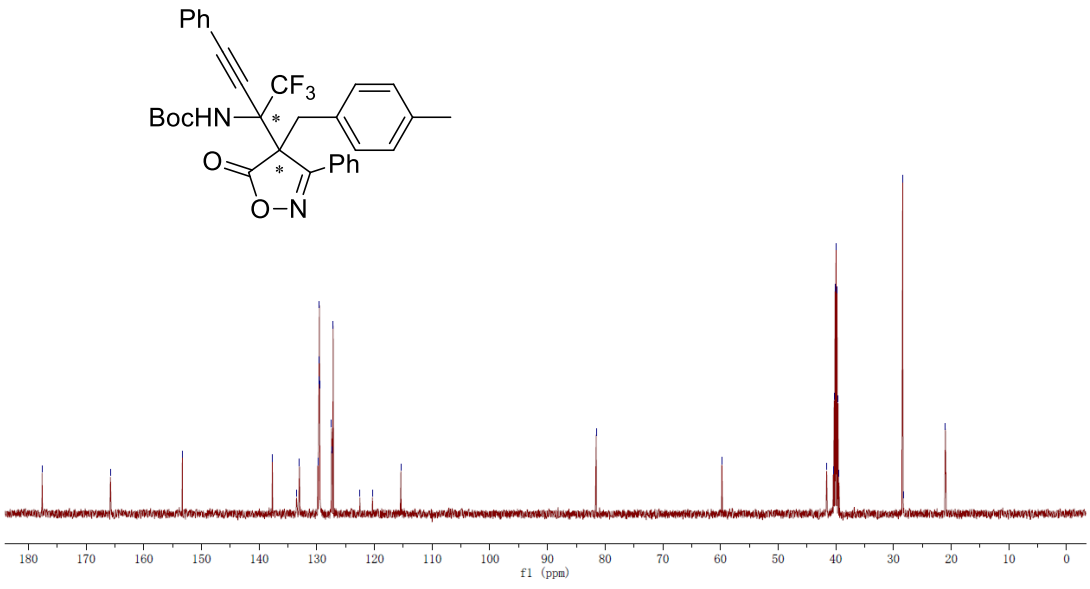
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-(((benzyloxy)carbonyl)amino)-4-((*S*)-4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3sa)



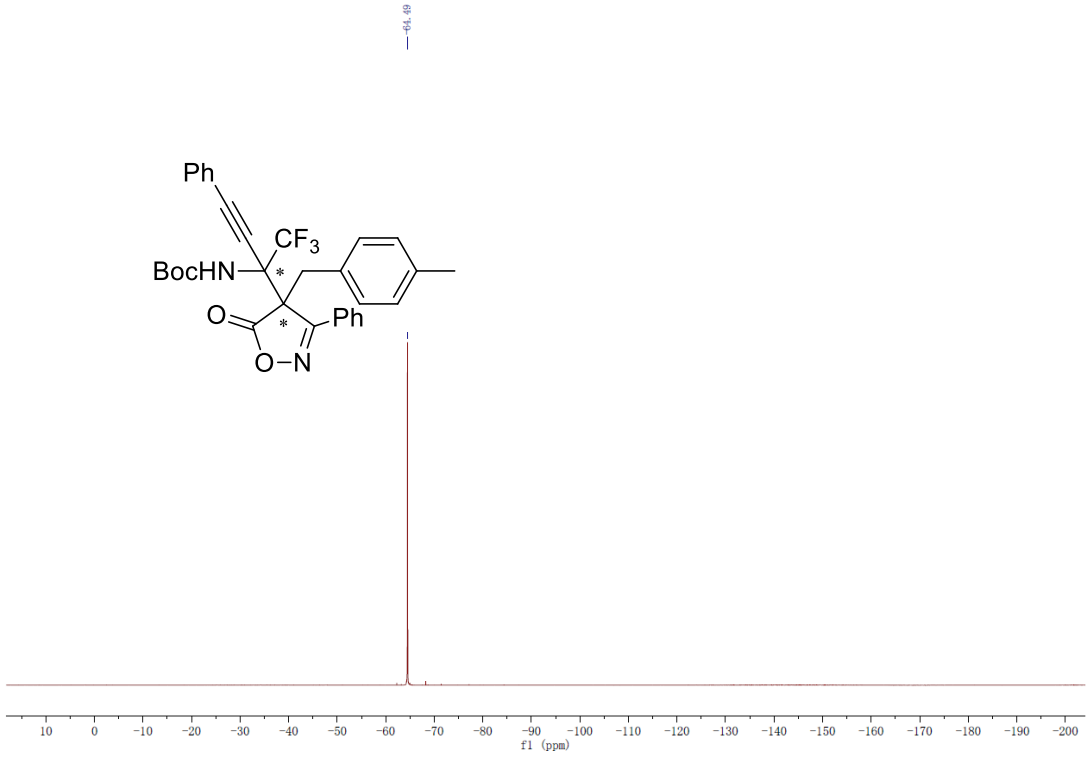


***tert*-butyl (1,1,1-trifluoro-2-(4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbut-3-yn-2-yl)carbamate (3ta)**

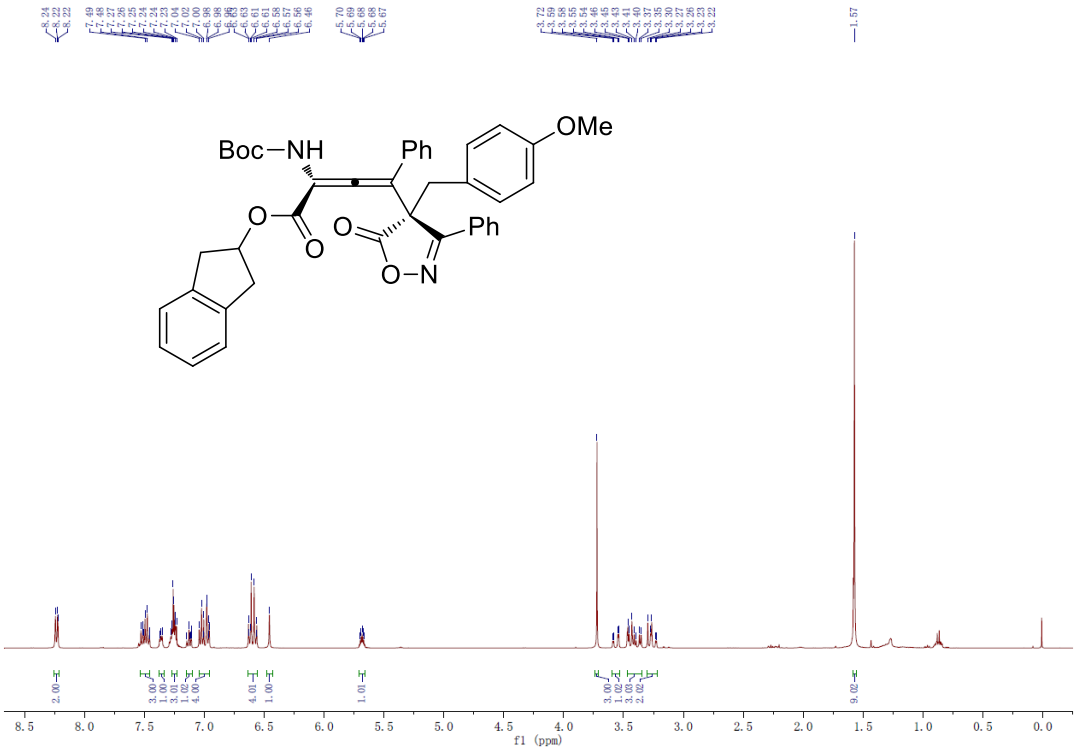


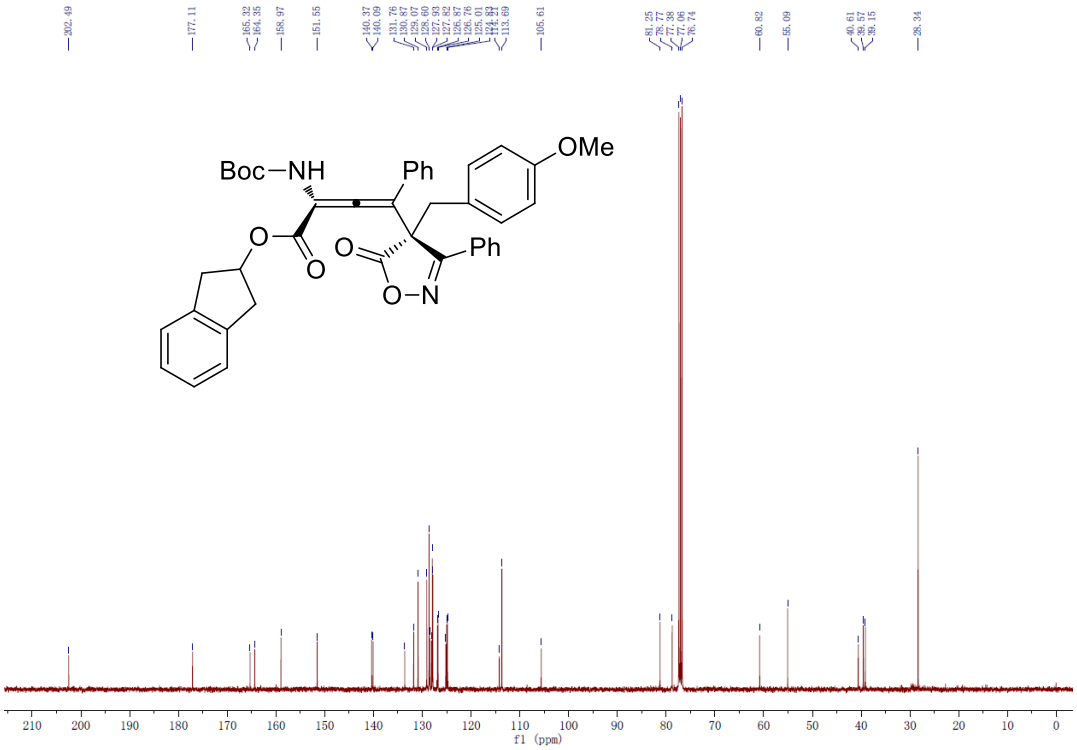


***tert*-butyl (1,1,1-trifluoro-2-(4-(4-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbut-3-yn-2-yl)carbamate (3ta)**

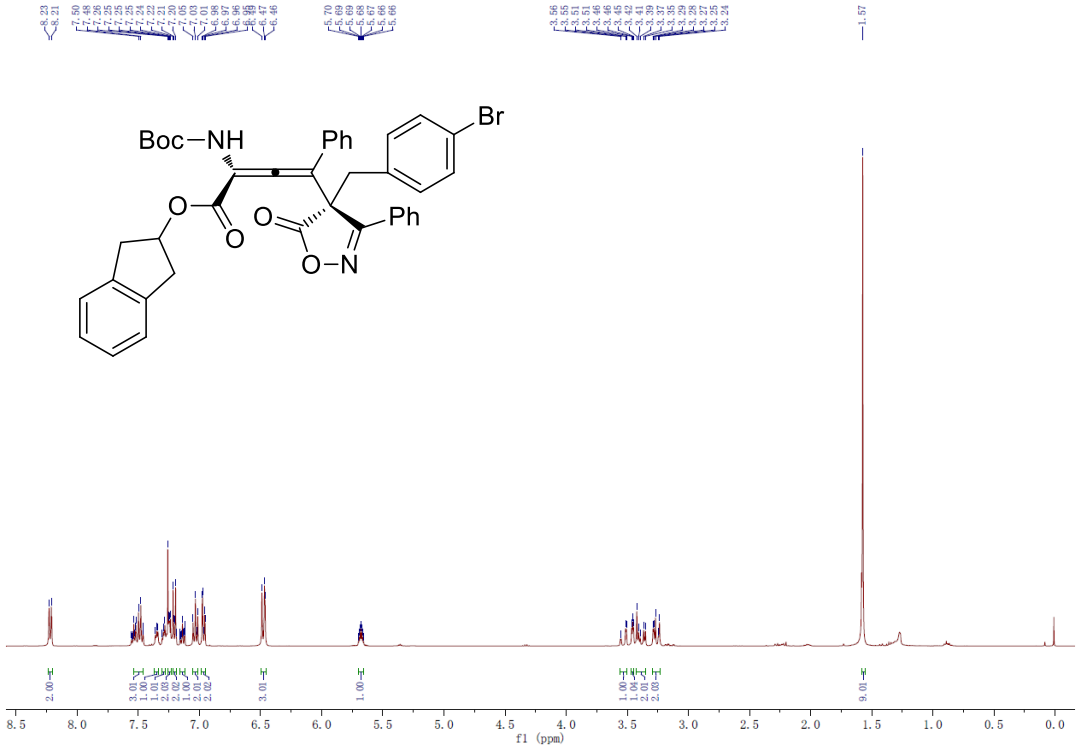


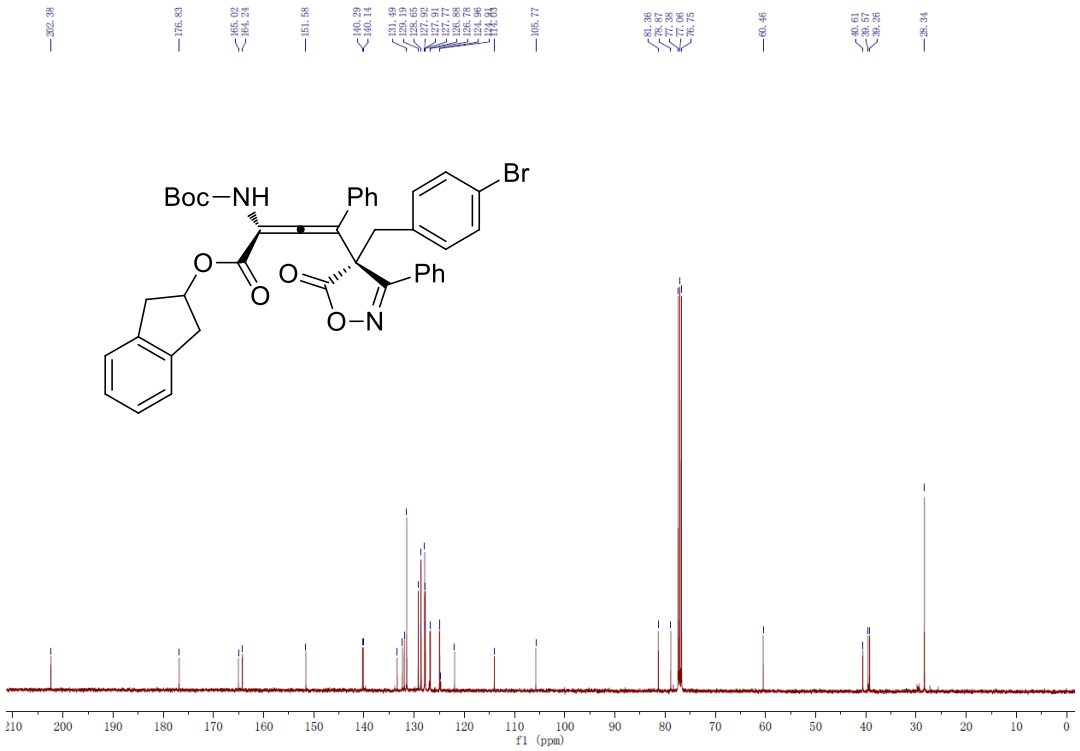
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-methoxybenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fb)



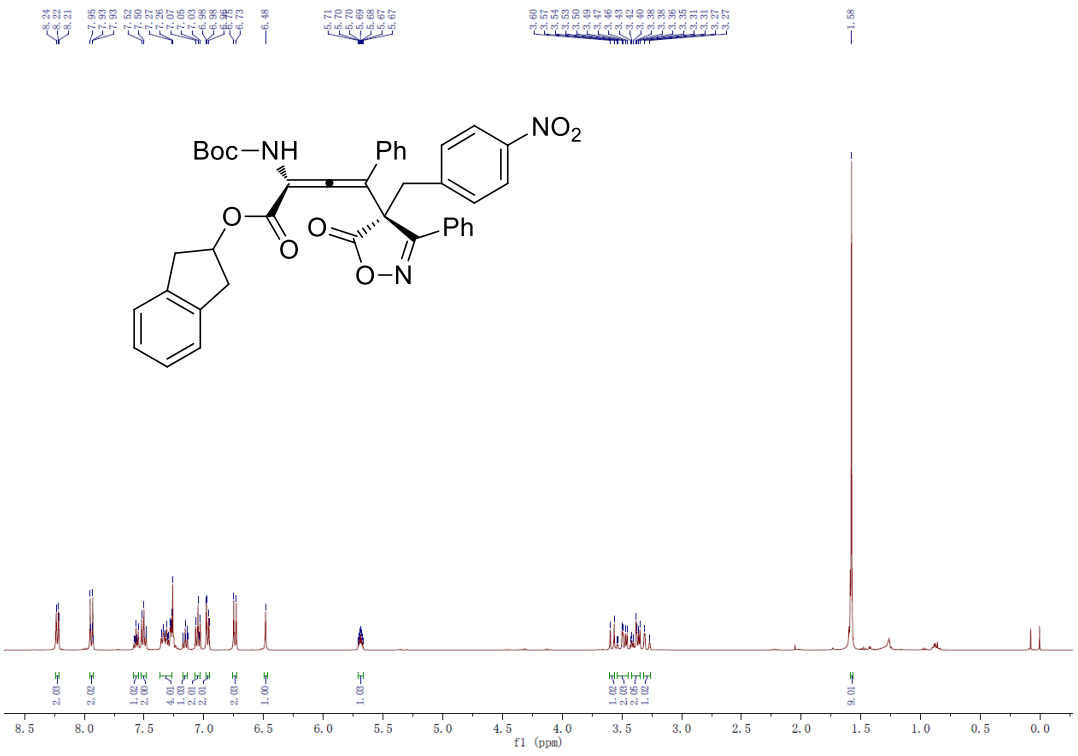


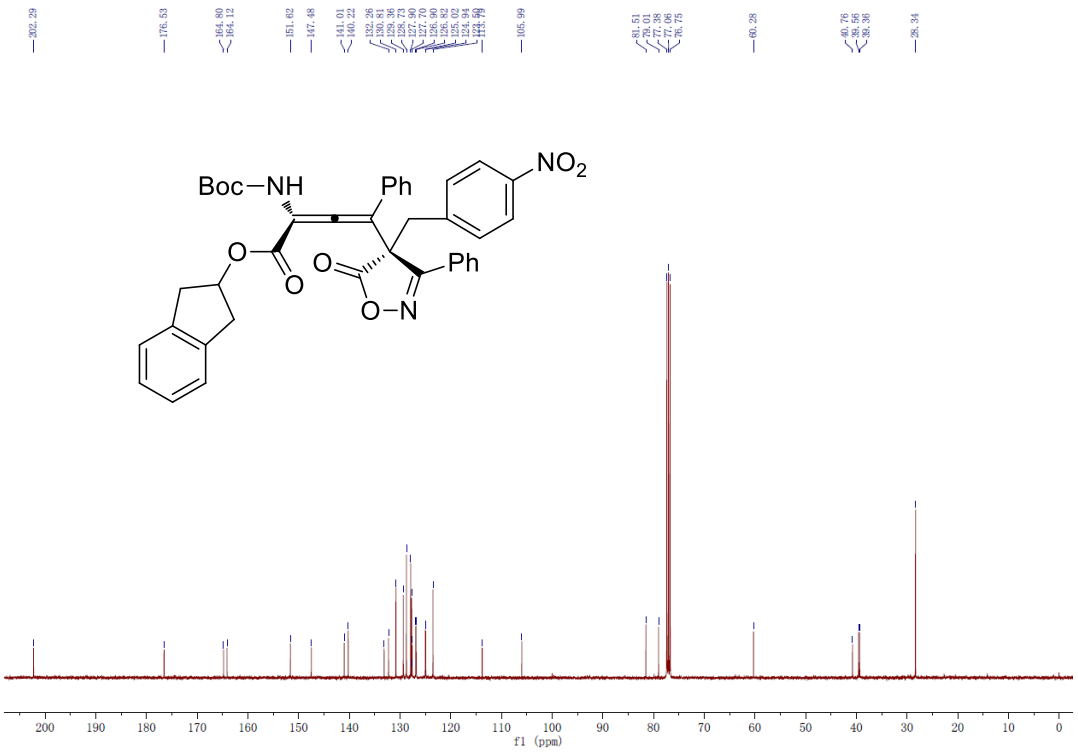
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-(4-bromobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fc)



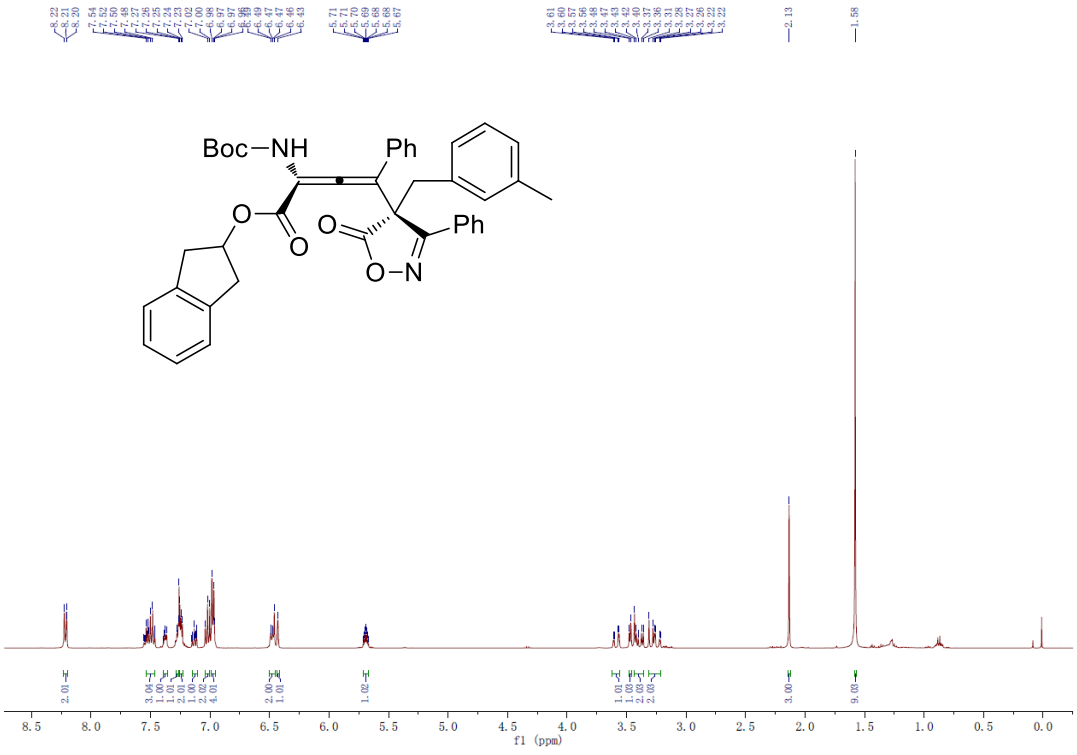


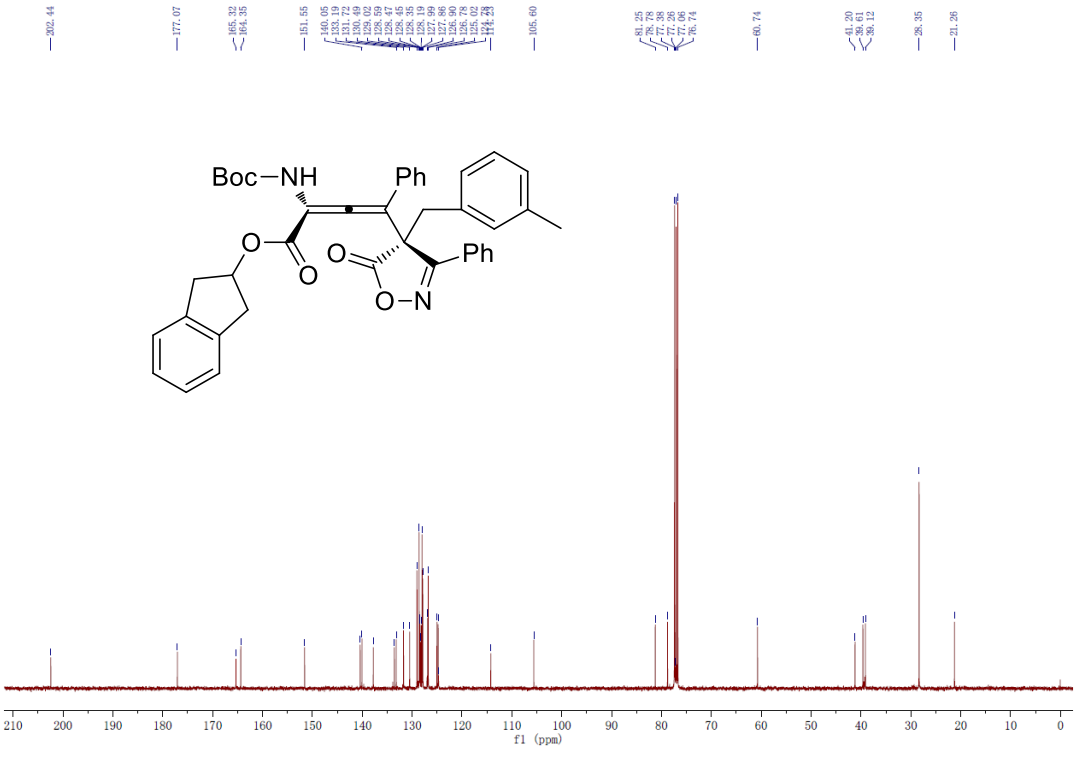
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(4-nitrobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fd)



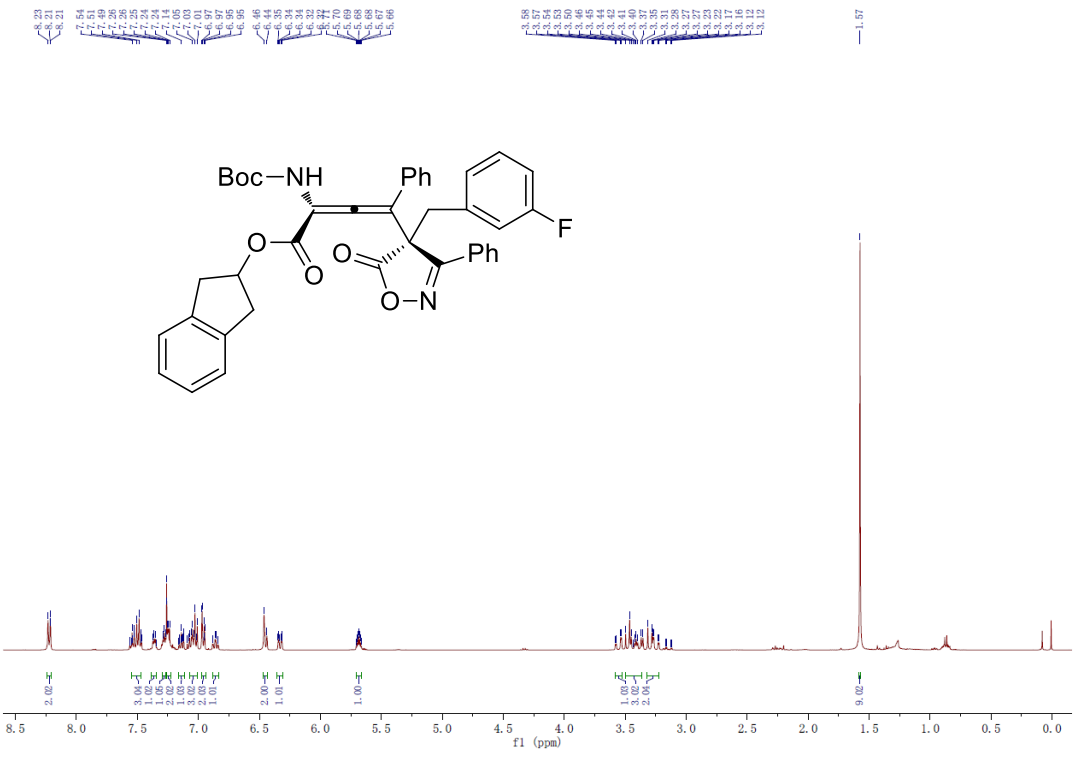


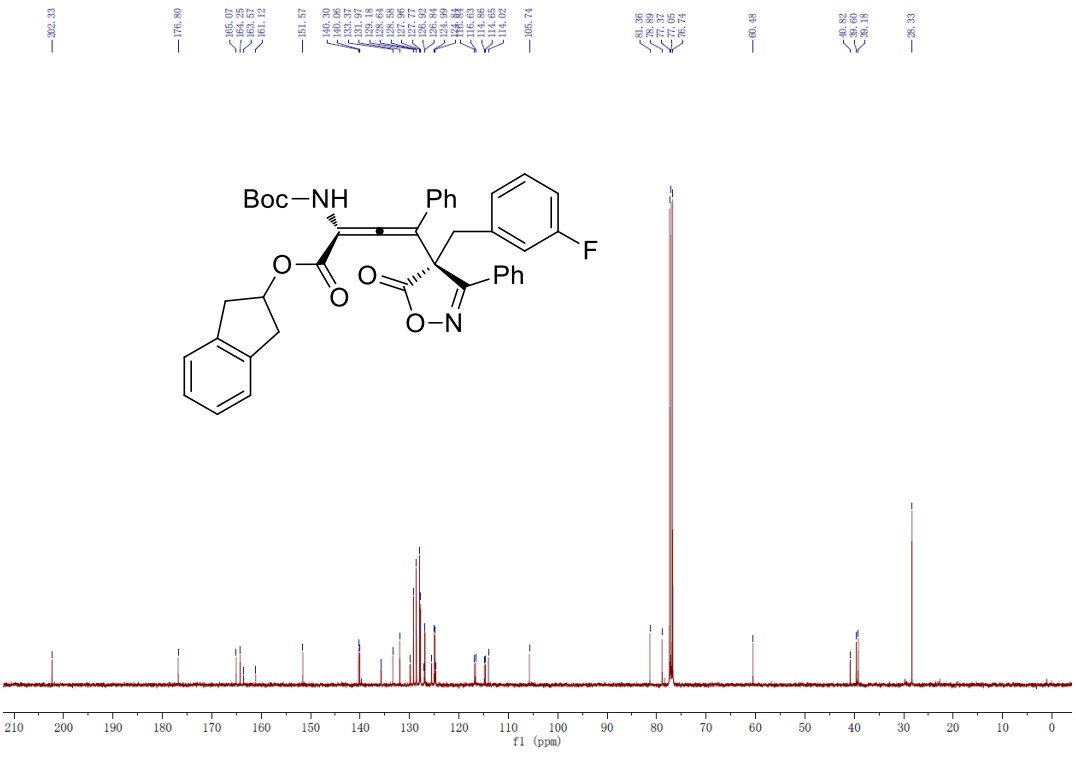
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-methylbenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fe)



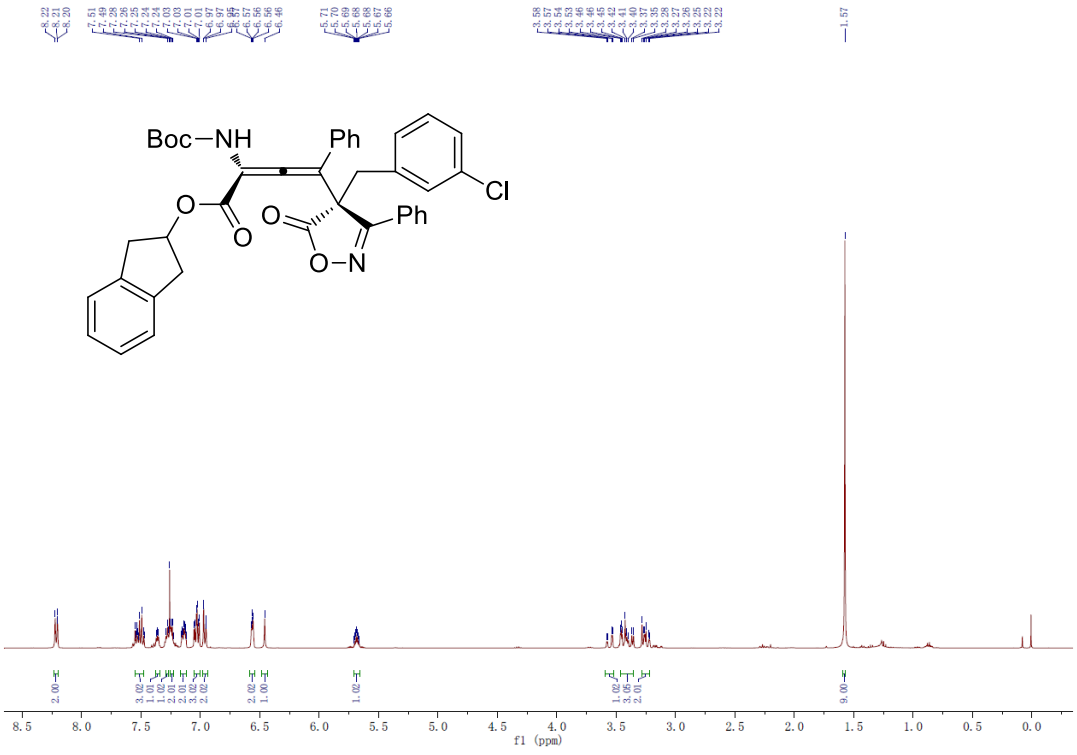


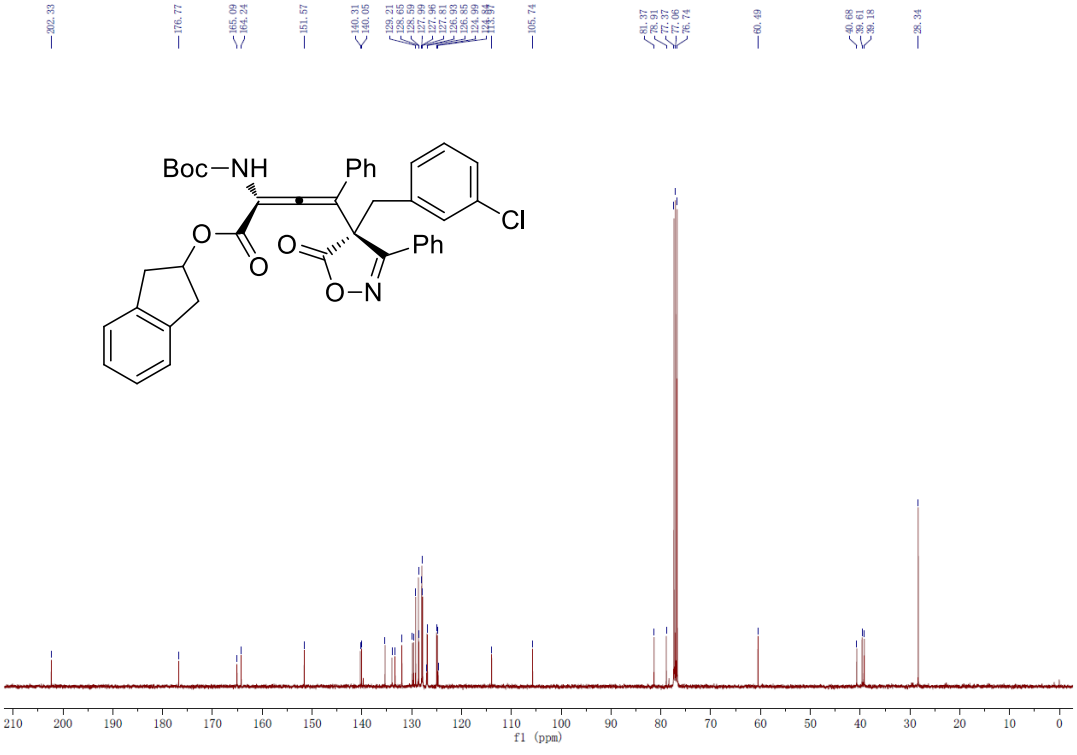
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-fluoro benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3ff)



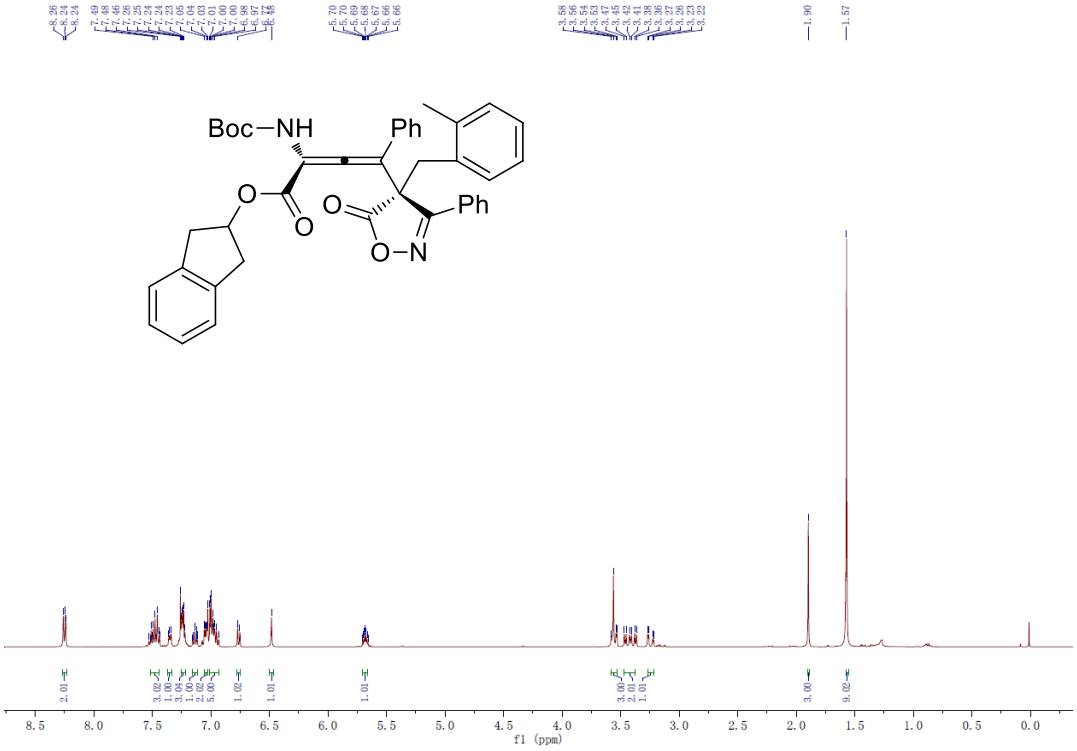


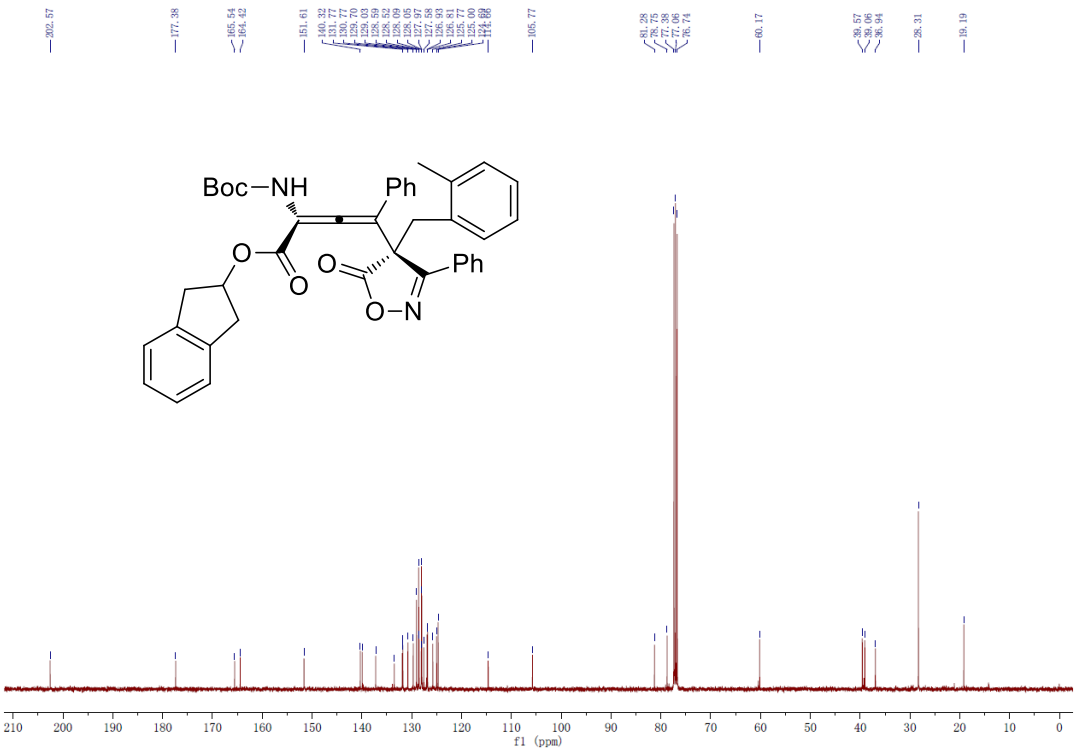
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(3-chlorobenzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fg)



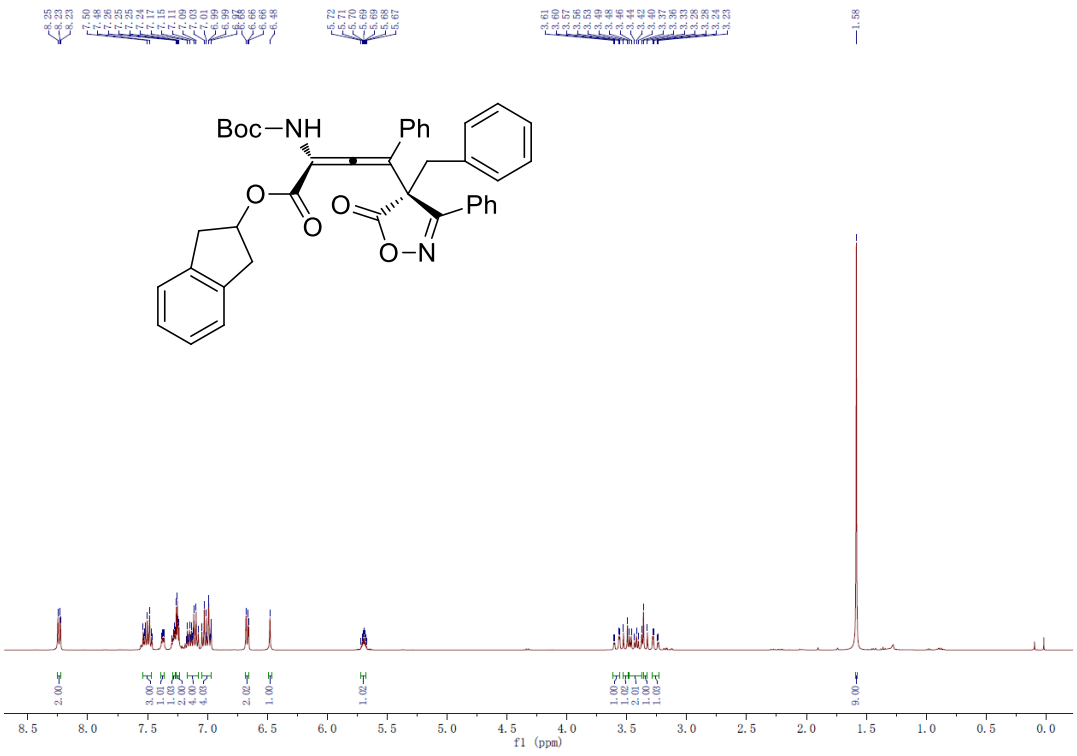


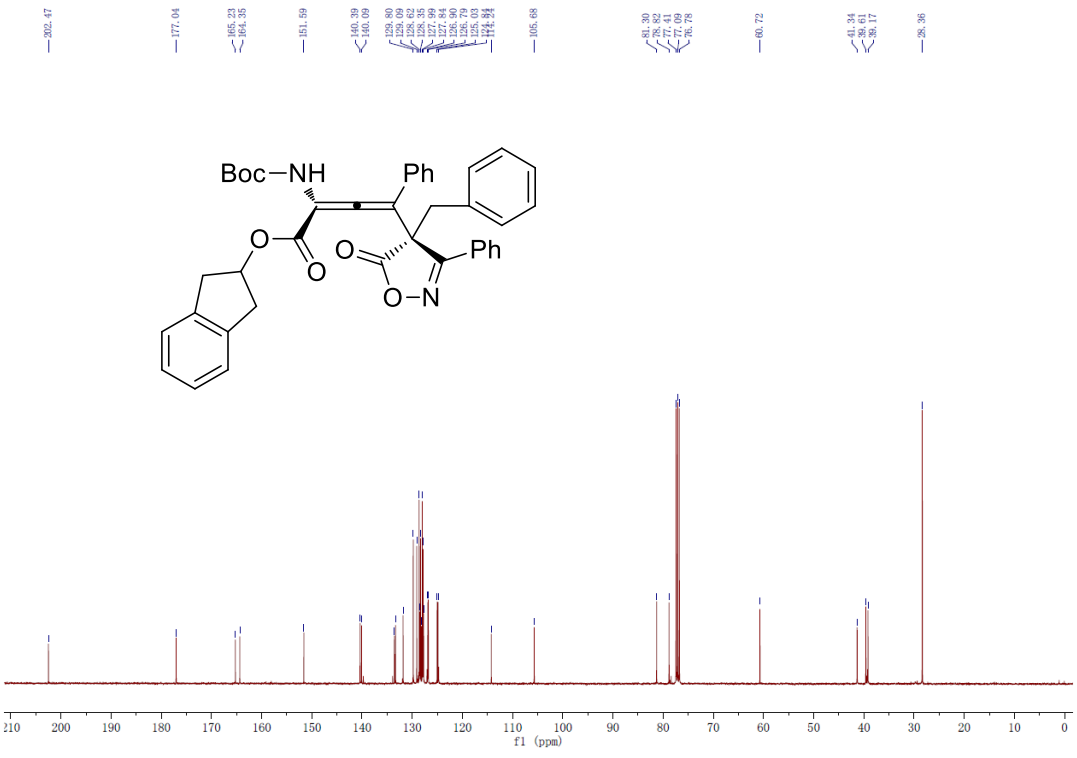
(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-(2-methyl benzyl)-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fh)





(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fi)





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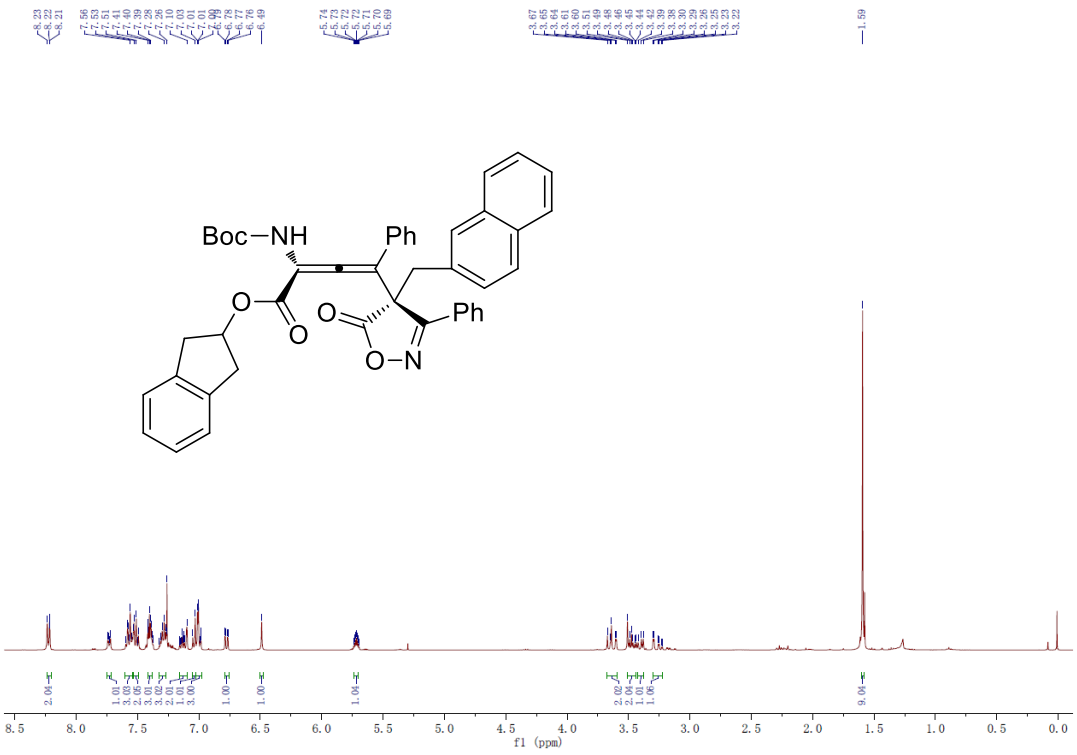
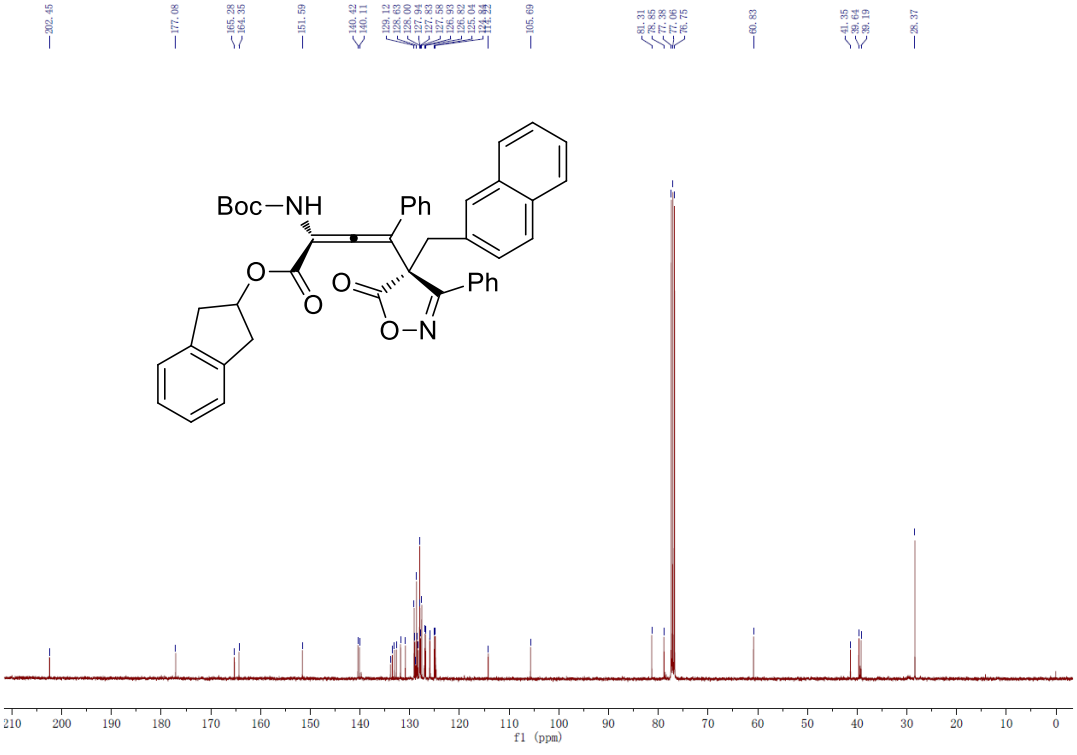
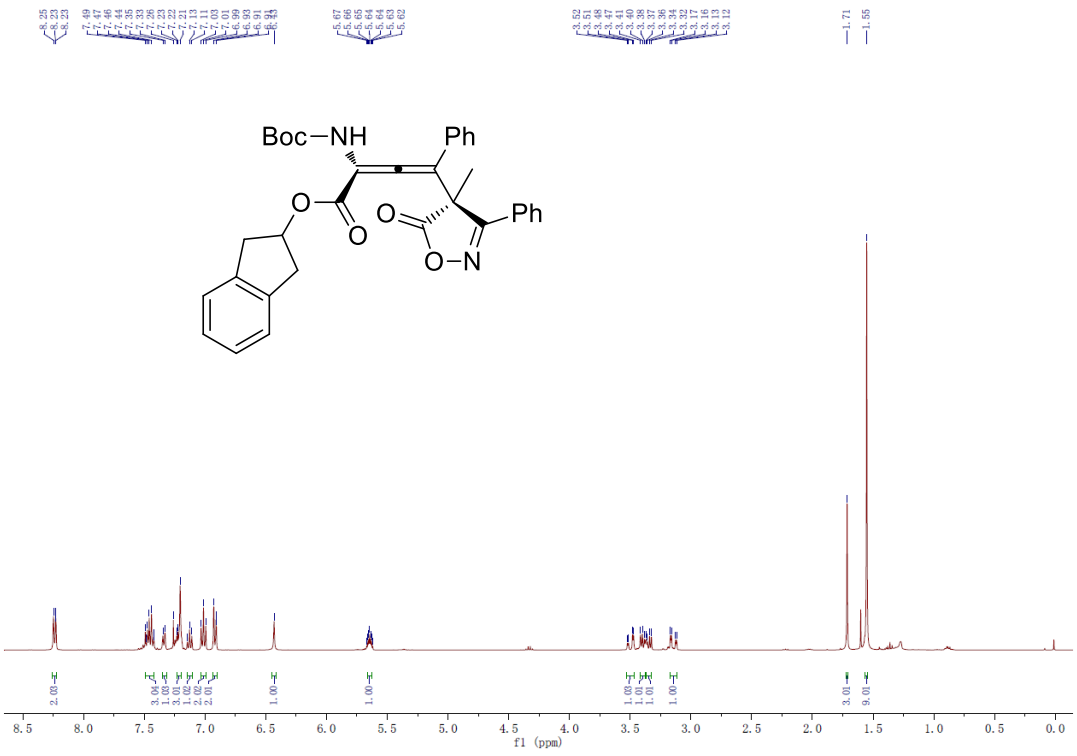
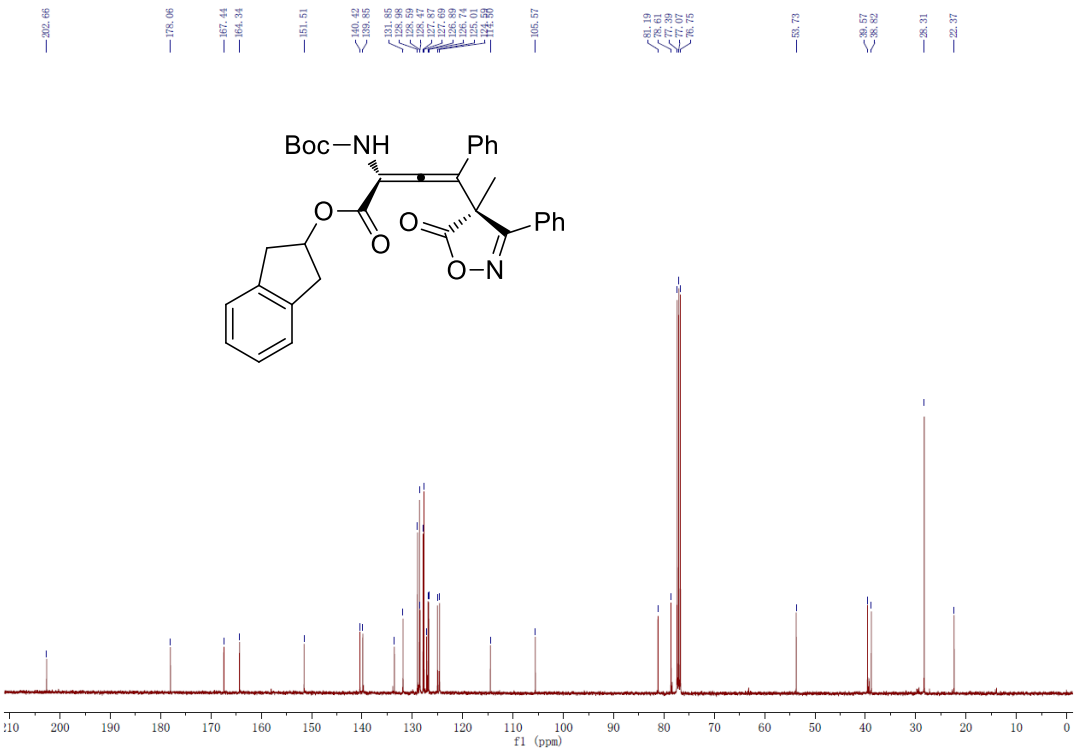




(*R*)-2,3-dihydro-1*H*-inden-2-yl 2-((*tert*-butoxycarbonyl)amino)-4-((*S*)-4-methyl-5-oxo-3-phenyl-4,5-dihydroisoxazol-4-yl)-4-phenylbuta-2,3-dienoate (3fk)





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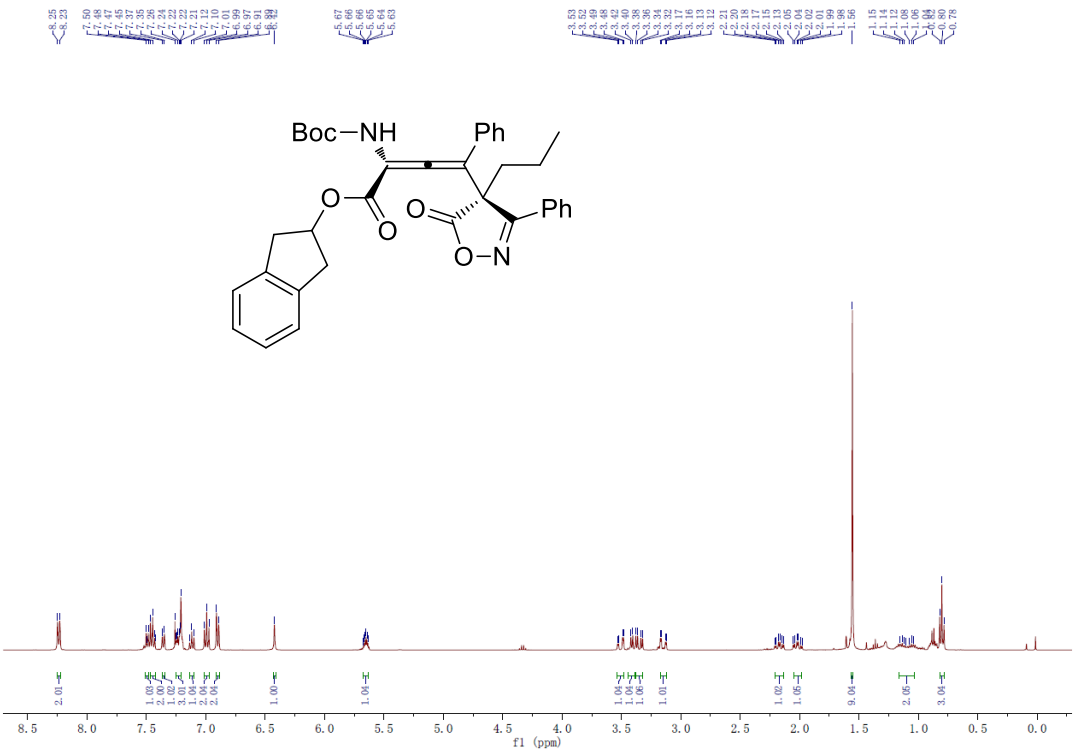
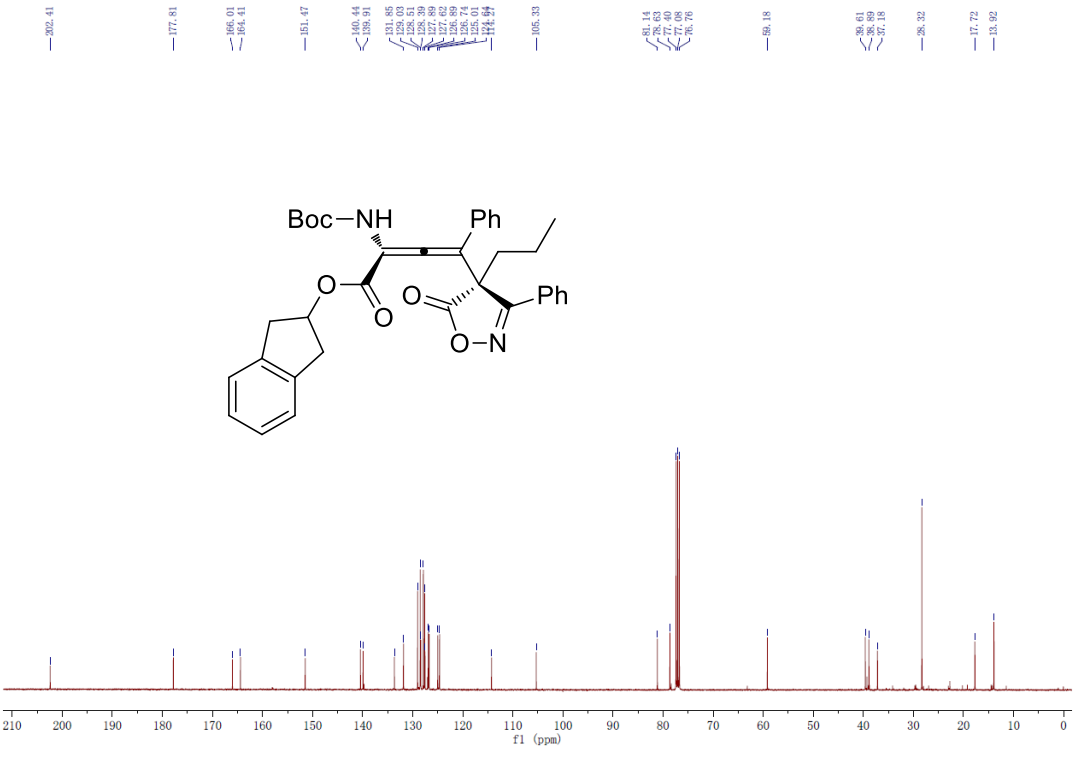
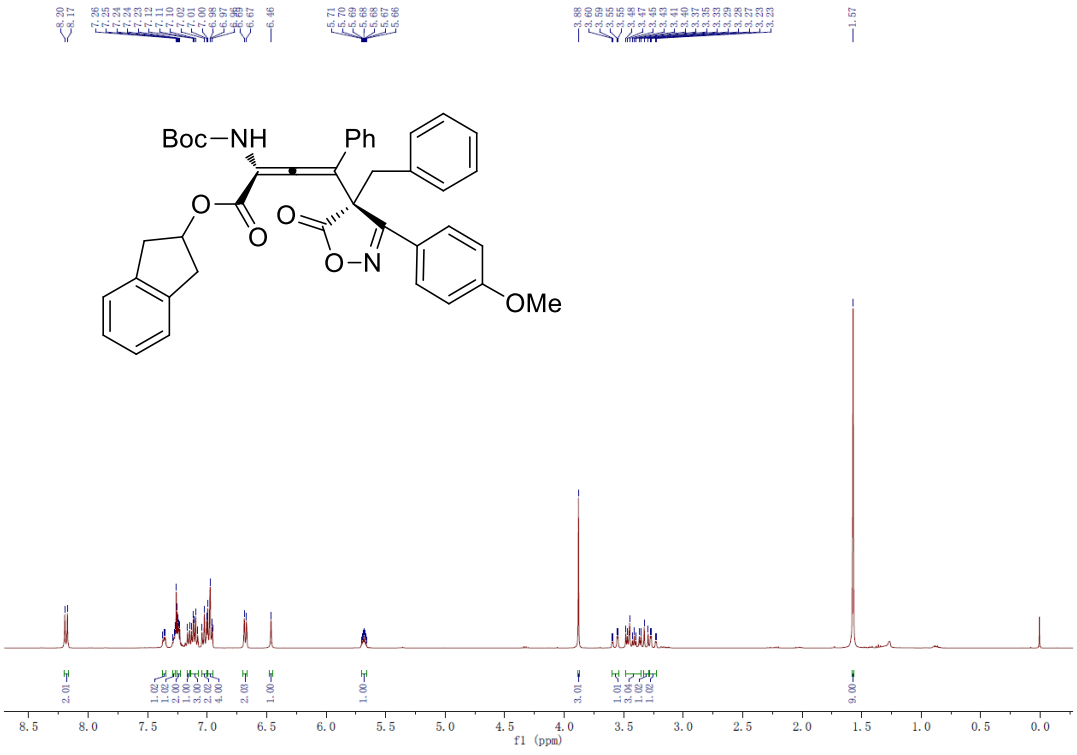
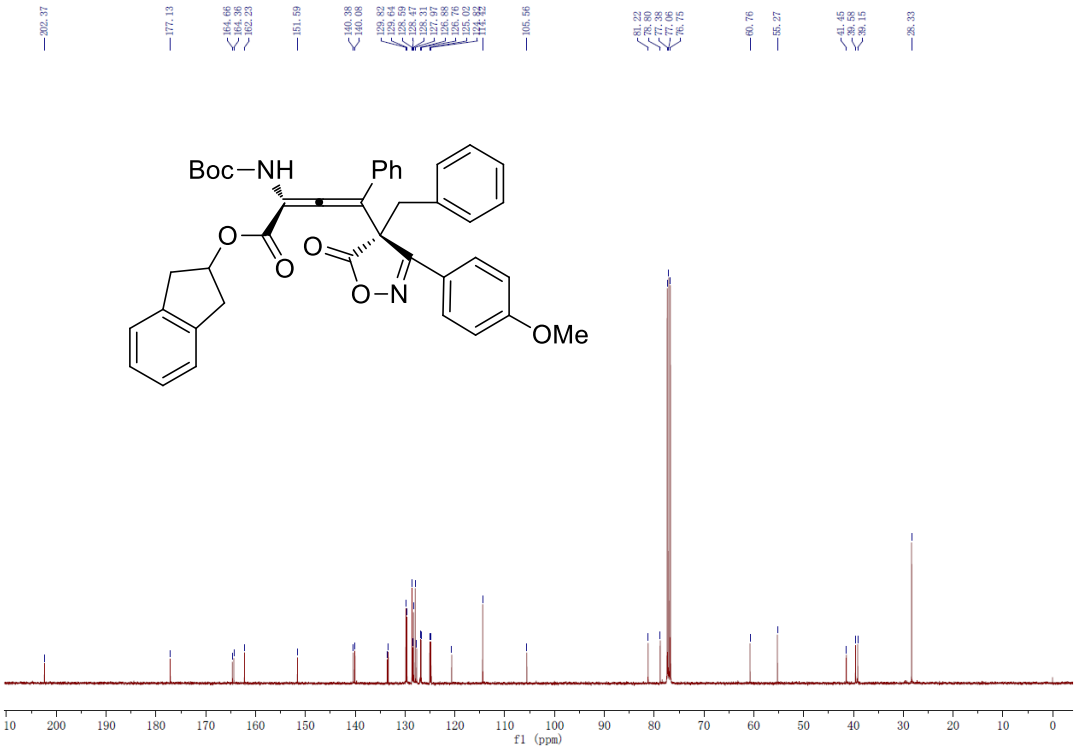


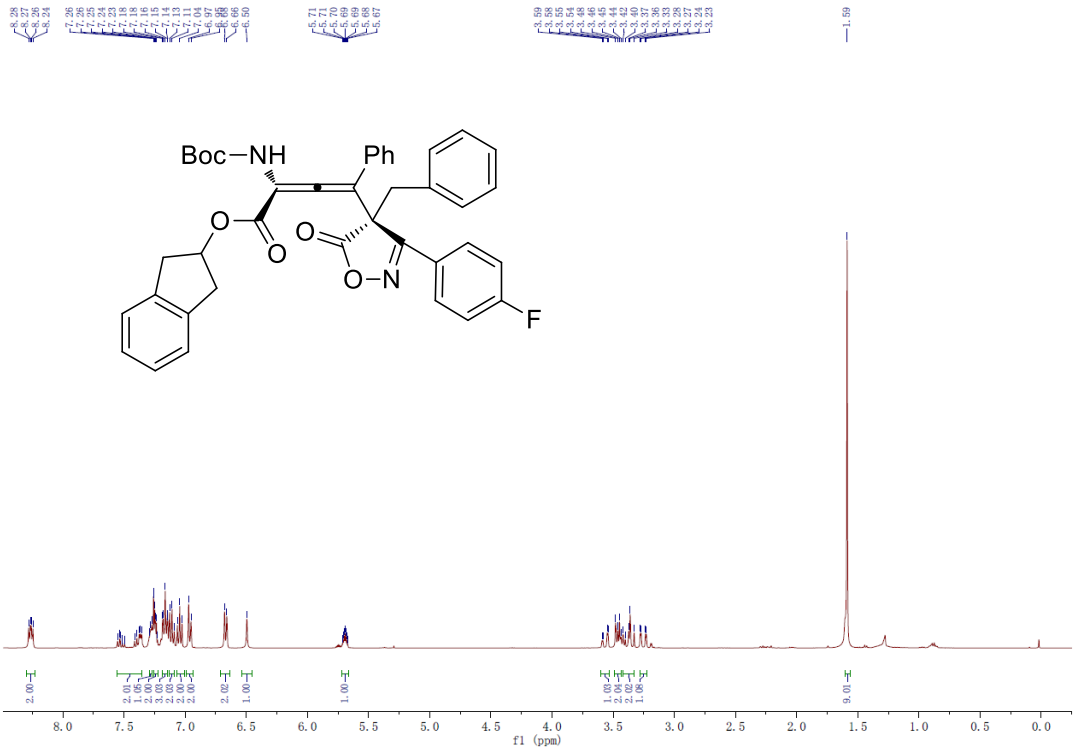


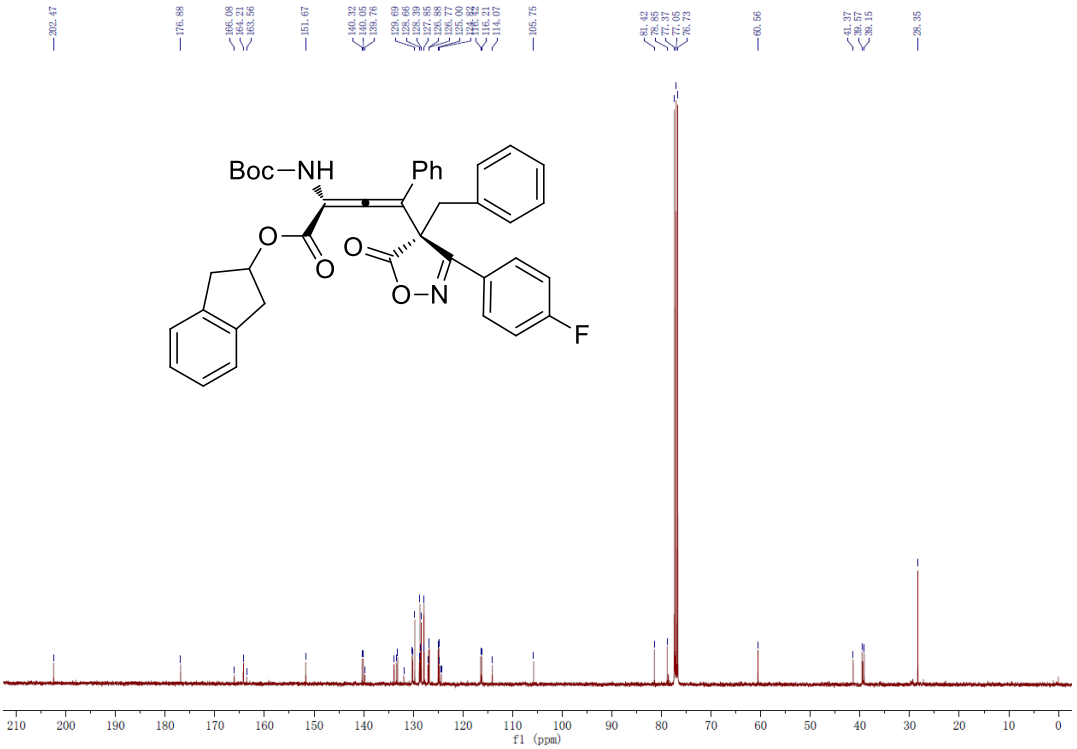
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(4-methoxyphenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fm)



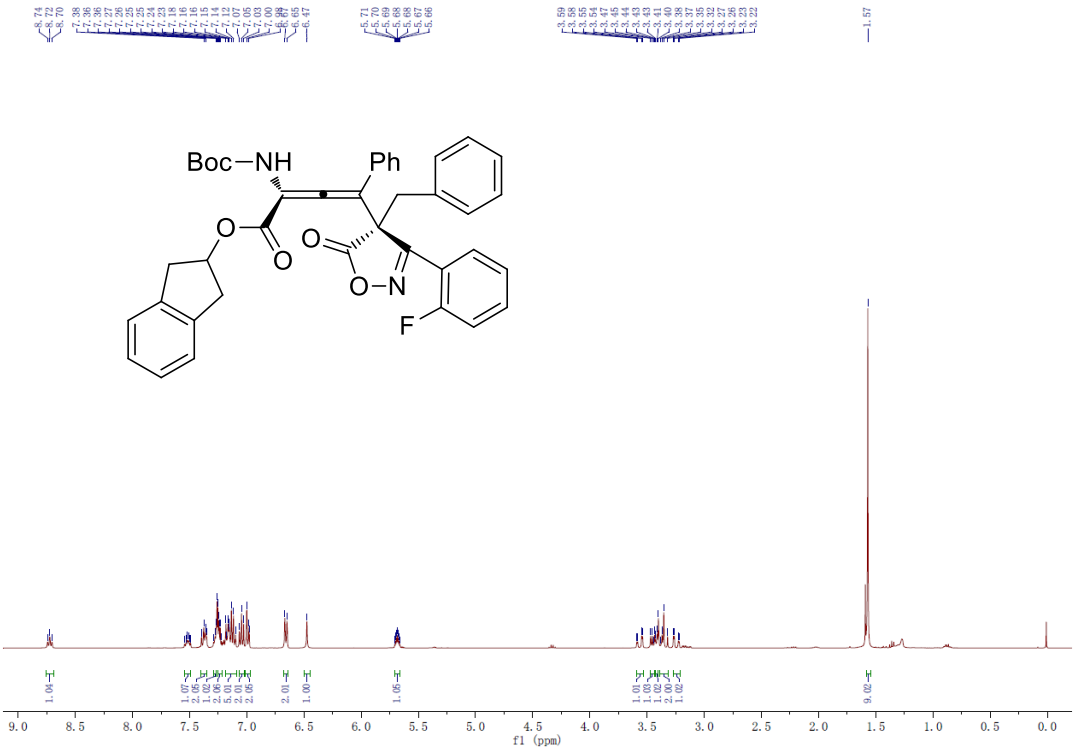


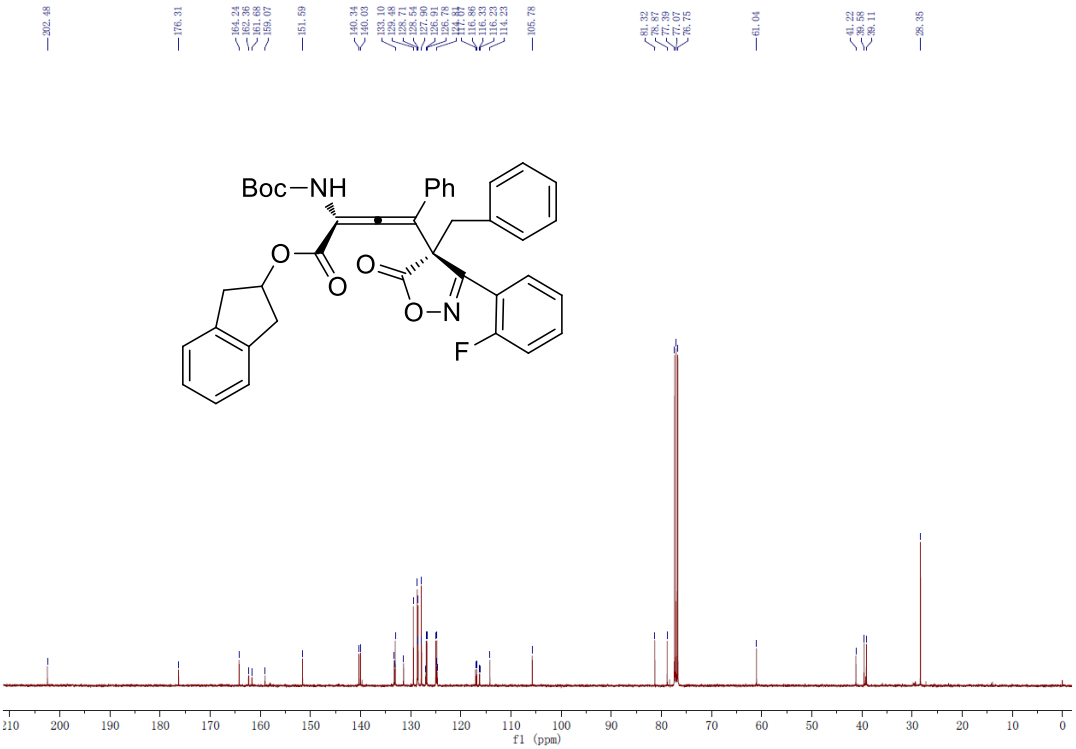
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(4-fluorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fn)



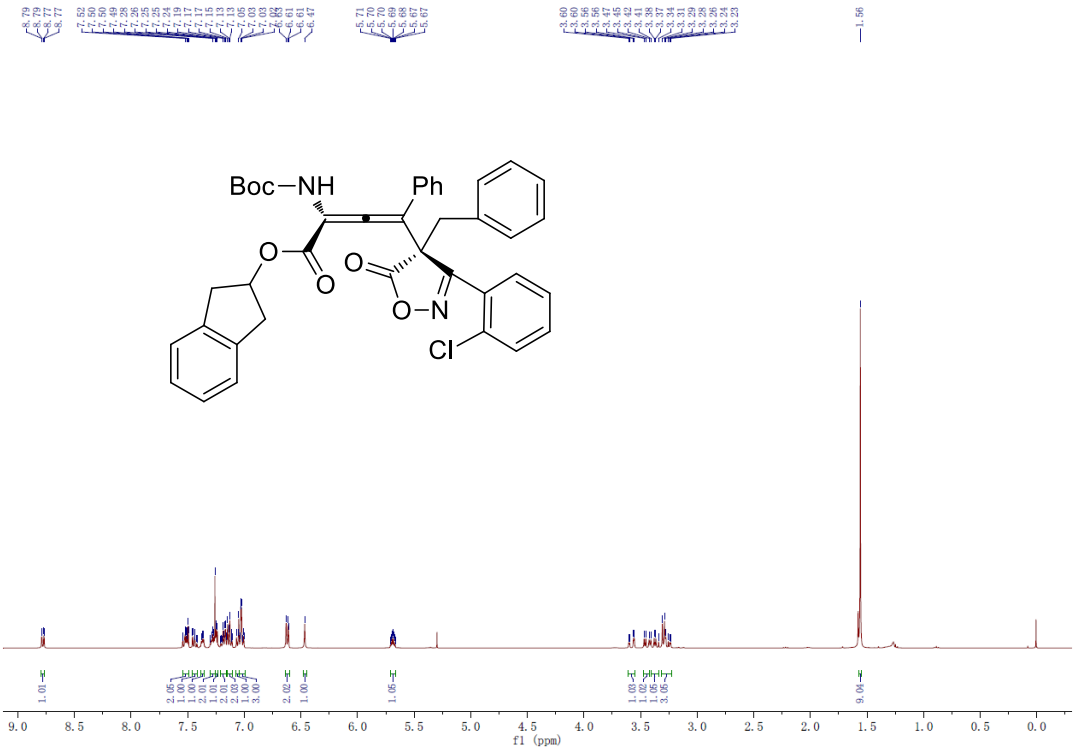


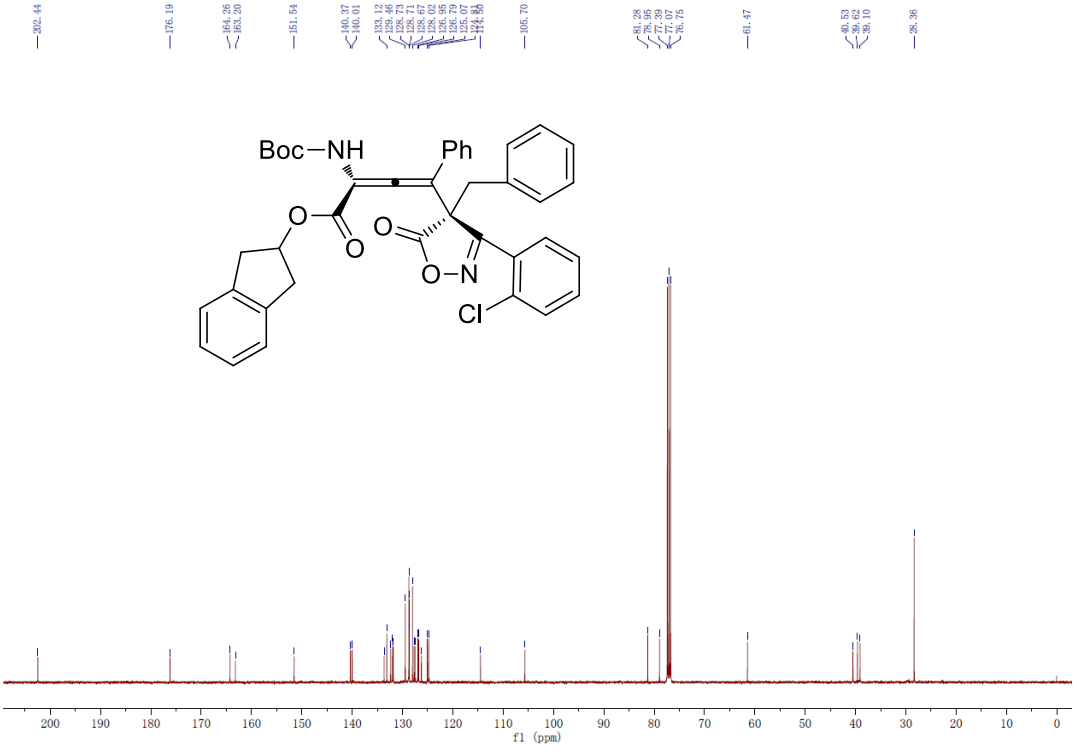
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(2-fluorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fo)



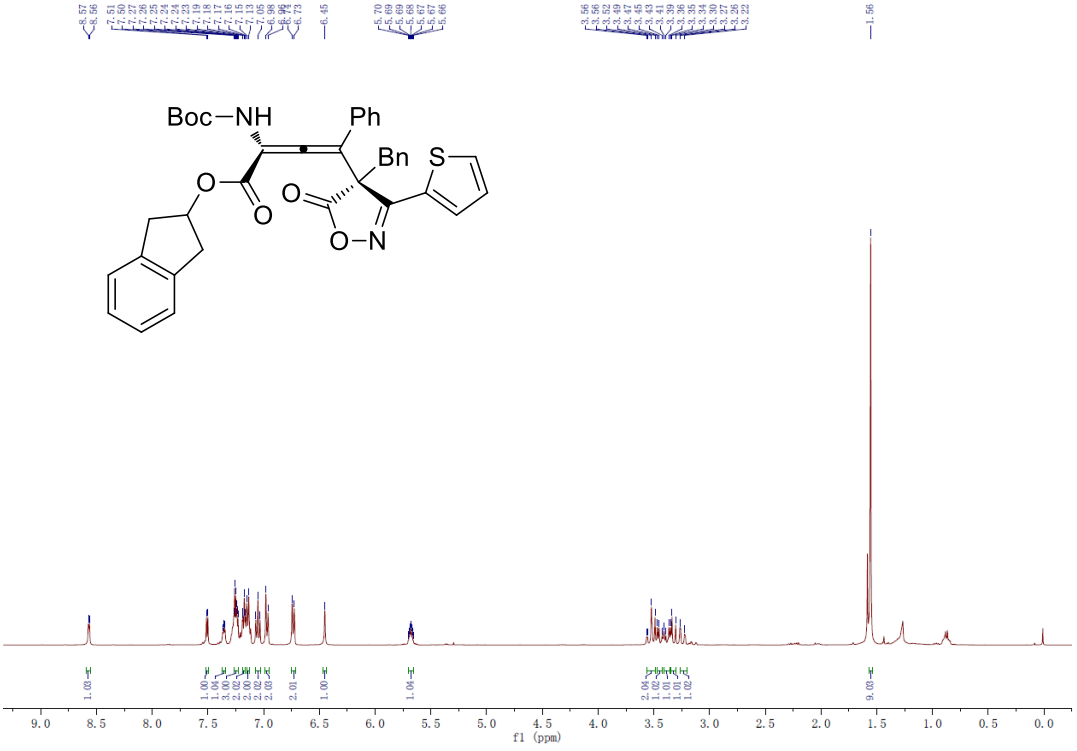


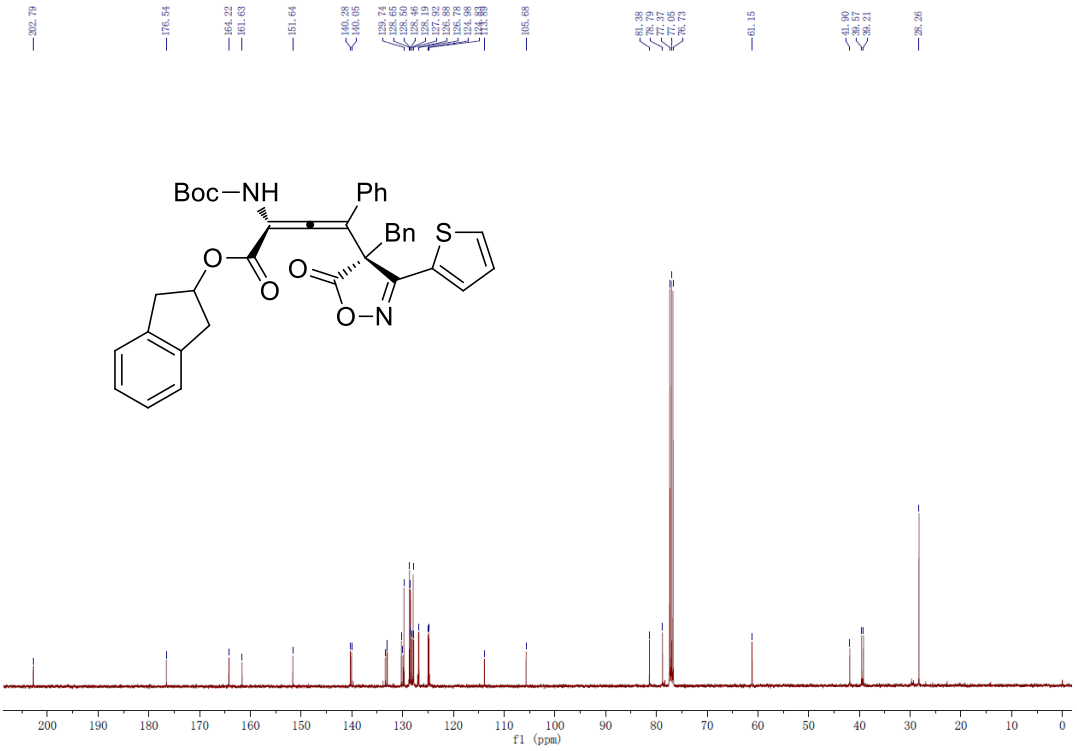
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-(2-chlorophenyl)-5-oxo-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fp)



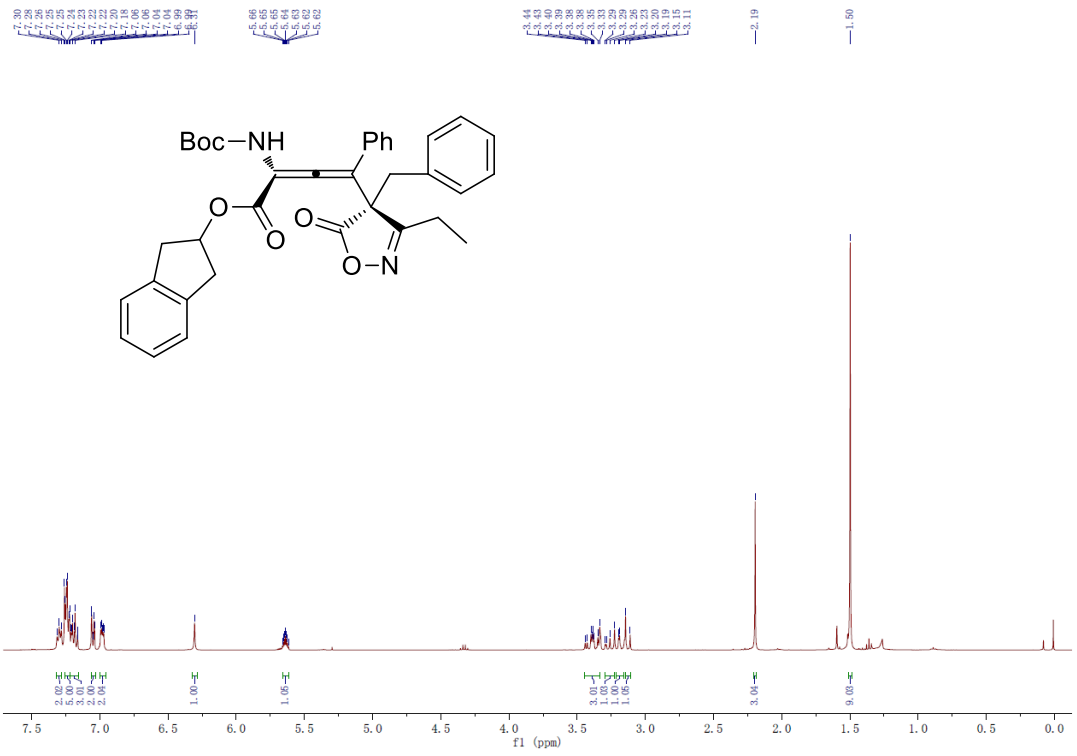


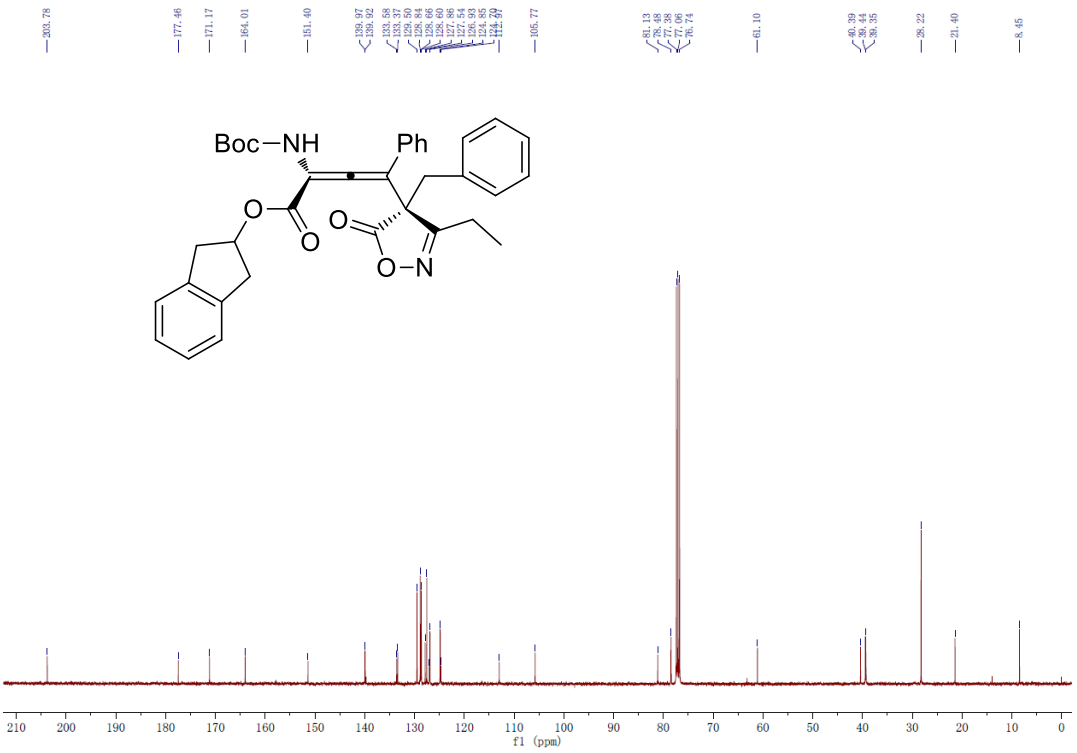
(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-5-oxo-3-(thiophen-2-yl)-4,5-dihydroisoxazol-4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fq)





(*R*)-2,3-dihydro-1*H*-inden-2-yl 4-((*S*)-4-benzyl-3-ethyl-5-oxo-4,5-dihydroisoxazol -4-yl)-2-((*tert*-butoxycarbonyl)amino)-4-phenylbuta-2,3-dienoate (3fr)





J: X-Ray Analysis Data

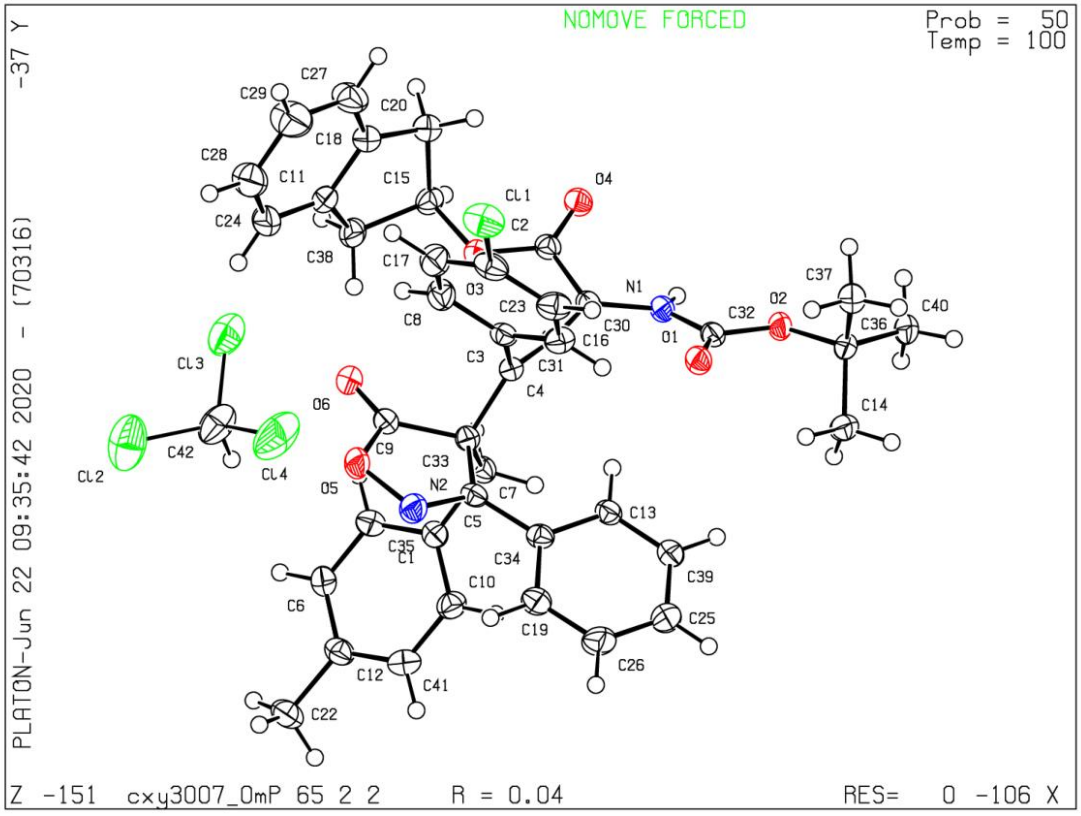


Table Crystal data and structure refinement for 3ha.

Identification code	**3ha**
Empirical formula	$C_{41}H_{37}ClN_2O_6$
Formula weight	688.23
Temperature/K	100.0
Crystal system	hexagonal
Space group	P6522
a/Å	10.7982(4)
b/Å	10.7982(4)
c/Å	113.259(7)
α/°	90
β/°	90
γ/°	120
Volume/Å3	11436.8(11)
Z	12
ρcalcg/cm^3	1.305
μ/mm-1	2.259
F(000)	4692.0
Crystal size/mm^3	0.26 × 0.24 × 0.24
Radiation	CuKα (λ = 1.54178)
2Θ range for data collection/°	4.682 to 144.442
Index ranges	-13 ≤ h ≤ 13, -13 ≤ k ≤ 12, -139 ≤ l ≤ 139
Reflections collected	164008
Independent reflections	7538 [Rint = 0.0795, Rsigma = 0.0274]
Data/restraints/parameters	7538/6/491
Goodness-of-fit on F2	1.135
Final R indexes [I>=2σ (I)]	R1 = 0.0382, wR_2 = 0.0932
Final R indexes [all data]	R1 = 0.0382, wR_2 = 0.0933
Largest diff. peak/hole / e Å-3	0.28/-0.25
Flack parameter	0.080(4)

K: Reference

1. T. Hellmuth, W. Frey, R. Peters, *Angew. Chem. Int. Ed.* **2015**, *54*, 2788.
2. J. Yang, Z. Wang, Z. He, G. Li, L. Hong, W. Sun and R. Wang, *Angew. Chem., Int. Ed.* **2020**, *59*, 642.