

Electronic Supplementary Information for

**Chiral dihydroxytetraphenylene-catalyzed enantioselective conjugate
addition of boronic acids to β -enaminones**

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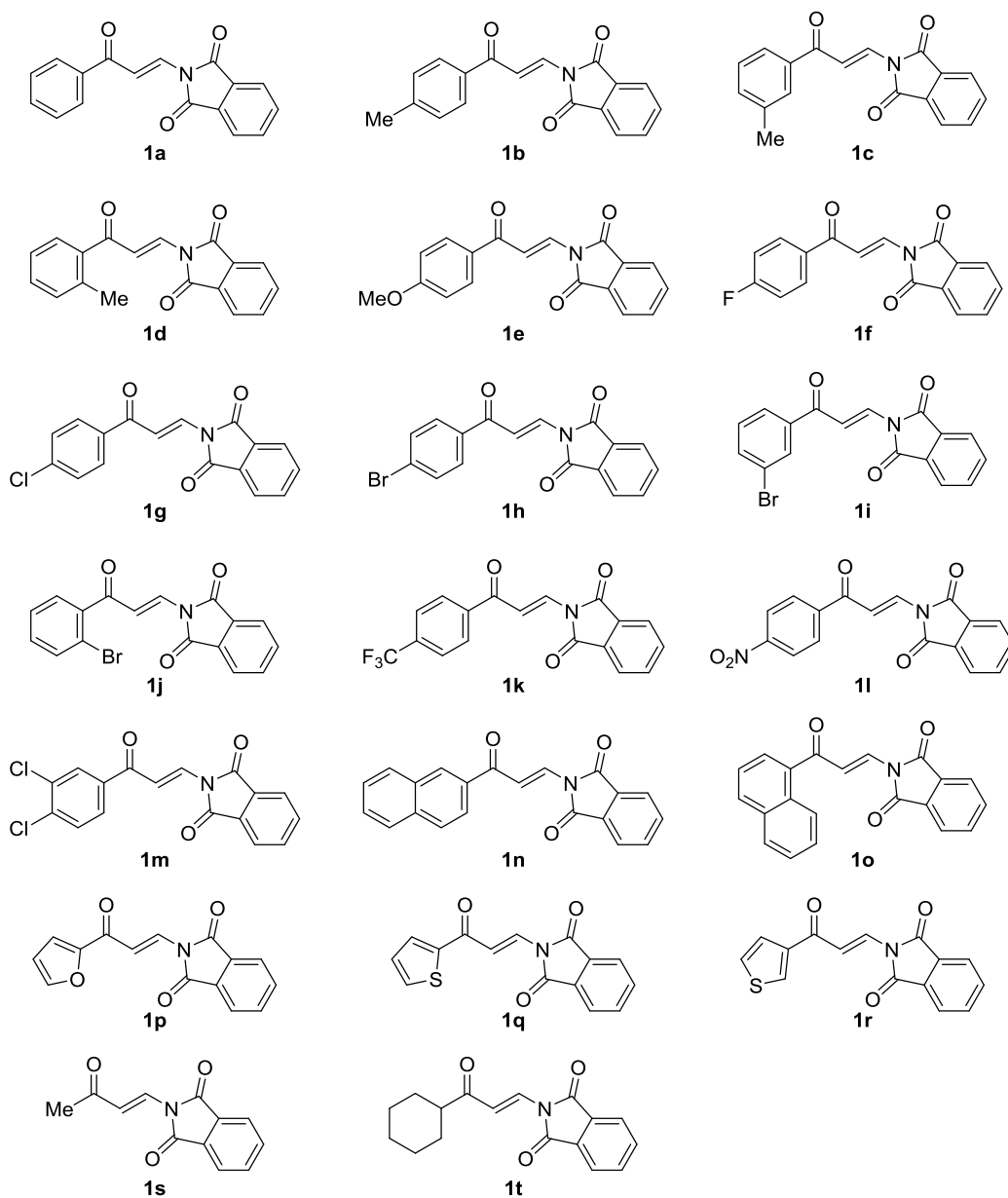
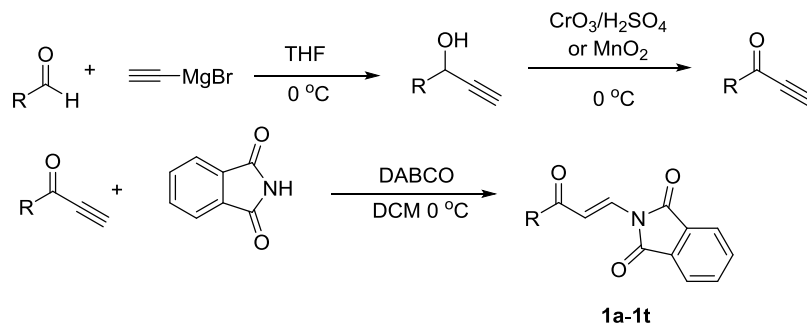
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1. General information

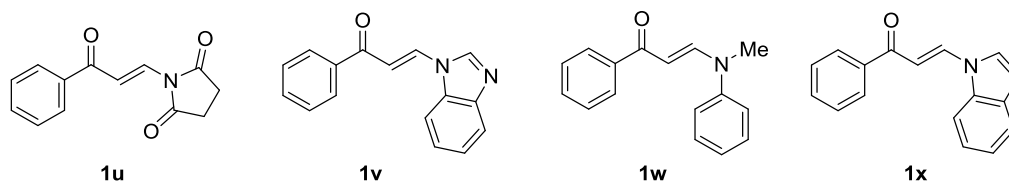
All reactions were carried out under an atmosphere of nitrogen using standard Schlenk techniques. All solvents and reagents were obtained from commercial sources and purified according to established procedures before use. Flash chromatography (FC) was carried out using silica gel (300-400 mesh). HPLC analysis was performed on a Dionex UltiMate 3000, ThermoScientific. Chiral HPLC data for the products could be obtained using a Chiralcel OD-H, Chiralpak IG column. These chiral columns were purchased from Daicel Chemical Industries Ltd. Optical rotations were measured on an Insmark polarimeter (IP-digi 300). ^1H NMR spectra were measured on a 400 MHz (Bruker, AVANCE NEO) or a 600 MHz spectrometer (Bruker, AVANCE III HD). Chemical shifts are reported in ppm from tetramethylsilane with the solvent resonance as the internal standard (CDCl_3 , $\delta = 7.26$). Data are presented as follows: chemical shift (ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, brs = broad singlet), coupling constants in hertz (Hz), integration. ^{13}C NMR spectra were measured at 100 MHz (Bruker, AVANCE NEO) or 150 MHz (Bruker, AVANCE III HD). Chemical shifts are reported in ppm from tetramethylsilane with the solvent resonance as the internal standard (CDCl_3 , $\delta = 77.16$). High-resolution mass spectra (HRMS) were recorded with a Bruker (Compact) mass spectrometer. All melting points were determined using a digital melting point apparatus (Shanghai INESA Physico-Optical Instrument Co., Ltd. SGW® X-4B) and were uncorrected. TLC was performed on glass-backed silica gel plate. Chiral ligand (*S*)-2,15-dichlorotetraphenylene-1,16-diol **L1** [(*S*)-2,15- Cl_2 -DHTP], (*S*)-2,15-dibromotetraphenylene-1,16-diol **L2** [(*S*)-2,15- Br_2 -DHTP], (*S*)-1,16-dihydroxytetraphenylene **L3** [(*S*)-DHTP], and (*S,S*)-1,8,9,16-tetrahydroxytetraphenylene **L4** [(*S,S*)-THTP] were prepared according to the procedure previously reported.¹ (*R*)-BINOL **L5**, (*R*)-3,3'- Br_2 -BINOL **L7**, (*R*)-3,3'- I_2 -BINOL **L8**, (*R*)-3,3'- Me_2 -BINOL **L9**, (*R*)-3,3'- Ph_2 -BINOL **L10**, and **L11** bearing two 3,5-*bis*(trifluoromethyl)phenyl groups were purchased from Daicel Chemical Industries Ltd. (*R*)-3,3'- Cl_2 -BINOL **L6** was prepared according to those reported in the literature.²

2. Preparation of starting materials

N-Phthaloyl- β -enaminone **1a-1t** were synthesized according to the literature procedures.³



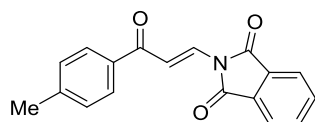
Substrates **1a**, **1e**, **1f**, **1p**, and **1s** are known compounds.^{3b} The spectral data were consistent with the literature.^{3b} The ¹H NMR, ¹³C{¹H} NMR, ¹⁹F{¹H} NMR, HRMS spectra and the corresponding characterization data of starting materials **1b-1d**, **1g-1o**, **1q-1r**, and **1t** not reported previously are provided.



Compound **1u-1w** were prepared according to the literature procedures.³ Compound **1x** was prepared as described in the literature.^{4a} Substrates **1w**^{4b} and **1x**^{4a} are known compounds, and all spectral data match literature reports. The ¹H NMR, ¹³C{¹H} NMR, HRMS spectra and the corresponding characterization data of starting materials **1u** and **1v** not reported previously are provided.

Characterization Data for β -Aminoenones

(*E*)-2-(3-oxo-3-(*p*-tolyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1b**)



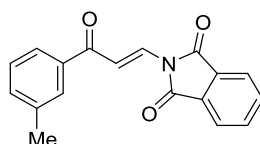
Yellow solid; mp 132-133 °C;

¹H NMR (600 MHz, CDCl₃) δ 8.16-8.08 (m, 2H), 7.98-7.95 (m, 4H), 7.84-7.83 (m, 2H), 7.31-7.30 (m, 2H), 2.44 (s, 3H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 189.9, 165.9, 144.0, 135.5, 135.4, 131.6, 131.0, 129.5, 128.8, 124.4, 111.9, 21.8;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₁₈H₁₃NO₃Na 314.0788; Found 314.0784.

(*E*)-2-(3-oxo-3-(*m*-tolyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1c**)



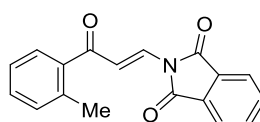
Yellow solid; mp 149-150 °C;

¹H NMR (600 MHz, CDCl₃) δ 8.12-8.04 (m, 2H), 7.95-7.94 (m, 2H), 7.84-7.80 (m, 4H), 7.38-7.36 (m, 2H), 2.43 (s, 3H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 190.3, 165.8, 138.5, 138.0, 135.3, 133.9, 131.5, 131.1, 129.0, 128.6, 125.8, 124.3, 111.8, 21.5;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₁₈H₁₃NO₃Na 314.0788; Found 314.0786.

(*E*)-2-(3-oxo-3-(*o*-tolyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1d**)



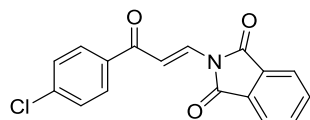
Yellow solid; mp 131-132 °C;

¹H NMR (400 MHz, CDCl₃) δ 7.97-7.93 (m, 2H), 7.88-7.75 (m, 4H), 7.58-7.55 (m, 1H), 7.41-7.37 (m, 1H), 7.30-7.26 (m, 2H), 2.49 (s, 3H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 195.5, 165.7, 138.8, 137.6, 135.4, 131.72, 131.66, 131.6, 131.1, 128.6, 125.8, 124.4, 116.3, 20.7;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₁₈H₁₃NO₃Na 314.0788; Found 314.0788.

(E)-2-(3-(4-chlorophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1g)



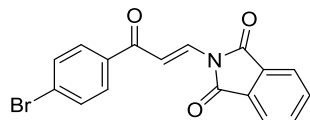
Yellow solid; mp 167-168 °C;

¹H NMR (400 MHz, CDCl₃) δ 8.11 (s, 2H), 8.00-7.97 (m, 4H), 7.86-7.84 (m, 2H), 7.49-7.47 (m, 2H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 189.0, 165.8, 139.6, 136.4, 135.5, 131.7, 131.6, 130.0, 129.1, 124.5, 111.2;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₁₇H₁₀NO₃ClNa 334.0241; Found 334.0241.

(E)-2-(3-(4-bromophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1h)



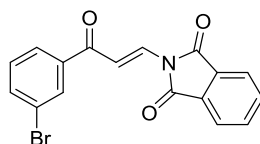
Yellow solid; mp 176-177 °C;

¹H NMR (600 MHz, CDCl₃) δ 8.13-8.08 (m, 2H), 7.99-7.97 (m, 2H), 7.91-7.90 (m, 2H), 7.85-7.84 (m, 2H), 7.65-7.64 (m, 2H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 189.2, 165.8, 136.8, 135.5, 132.1, 131.8, 131.6, 130.1, 128.3, 124.5, 111.1;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₁₇H₁₀NO₃BrNa 377.9736; Found 377.9737.

(E)-2-(3-(3-bromophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1i)



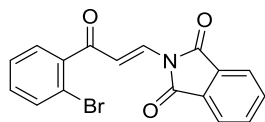
Colorless solid; mp 160-161 °C;

¹H NMR (600 MHz, CDCl₃) δ 8.15 (s, 1H), 8.12-8.06 (m, 2H), 7.99-7.94 (m, 3H), 7.85-7.84 (m, 2H), 7.71 (d, *J* = 7.8 Hz, 1H), 7.39 (t, *J* = 7.8 Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 188.9, 165.7, 139.8, 136.0, 135.5, 132.0, 131.6, 130.4, 127.1, 124.5, 123.2, 111.1;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_{10}\text{NO}_3\text{BrNa}$ 377.9736; Found 377.9738.

(E)-2-(3-(2-bromophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1j)



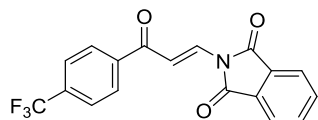
Colorless solid; mp 152-153 $^{\circ}\text{C}$;

^1H NMR (600 MHz, CDCl_3) δ 7.97-7.95 (m, 2H), 7.84-7.79 (m, 3H), 7.73-7.70 (m, 1H), 7.66-7.64 (m, 1H), 7.47-7.40 (m, 2H), 7.36-7.33 (m, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 194.3, 165.5, 140.9, 135.5, 133.8, 132.5, 131.8, 131.6, 129.4, 127.6, 124.5, 119.7, 116.0;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_{10}\text{NO}_3\text{BrNa}$ 377.9736; Found 377.9736.

(E)-2-(3-oxo-3-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)isoindoline-1,3-dione (1k)



Yellow solid; mp 194-195 $^{\circ}\text{C}$;

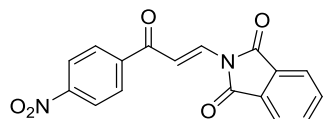
^1H NMR (600 MHz, CDCl_3) δ 8.16-8.11 (m, 4H), 8.00-7.99 (m, 2H), 7.86-7.85 (m, 2H), 7.78-7.77 (m, 2H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 189.4, 165.7, 140.8, 135.6, 134.4 (q, $J = 33.0$ Hz), 132.2, 131.6, 128.9, 125.8 (q, $J = 3.0$ Hz), 124.6, 123.8 (q, $J = 270.0$ Hz), 111.1;

^{19}F $\{^1\text{H}\}$ NMR (564 MHz, CDCl_3) δ -63.0;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{18}\text{H}_{10}\text{NO}_3\text{F}_3\text{Na}$ 368.0505; Found 368.0505.

(E)-2-(3-(4-nitrophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1l)



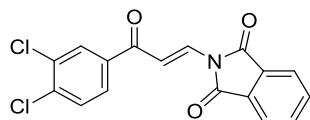
Yellow solid; mp >250 $^{\circ}\text{C}$;

^1H NMR (600 MHz, CDCl_3) δ 8.36 (d, $J = 8.4$ Hz, 2H), 8.19-8.15 (m, 4H), 8.01-8.00 (m, 2H), 7.88-7.86 (m, 2H);

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_{10}\text{N}_2\text{O}_5\text{Na}$ 345.0482; Found

345.0479.

(E)-2-(3-(3,4-dichlorophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1m)



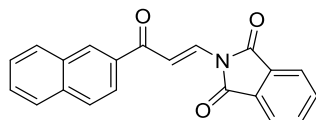
Yellow solid; mp 212-213 °C;

^1H NMR (600 MHz, CDCl_3) δ 8.15-8.06 (m, 3H), 8.00-7.99 (m, 2H), 7.87-7.85 (m, 3H), 7.60-7.59 (d, $J = 8.4$ Hz, 1H);

^{13}C { ^1H } NMR (150 MHz, CDCl_3) δ 188.0, 165.7, 137.73, 137.66, 135.6, 133.5, 132.3, 131.6, 130.9, 130.6, 127.6, 124.6, 110.7;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_9\text{NO}_3\text{Cl}_2\text{Na}$ 367.9852; Found 367.9854.

(E)-2-(3-(naphthalen-2-yl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1n)



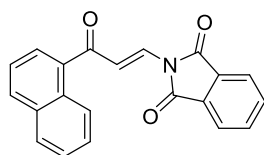
Colorless solid; mp 198-199 °C;

^1H NMR (600 MHz, CDCl_3) δ 8.56 (s, 1H), 8.32 (d, $J = 14.4$ Hz, 1H), 8.17 (d, $J = 14.4$ Hz, 1H), 8.13-8.12 (m, 1H), 8.03-7.98 (m, 3H), 7.94 (d, $J = 8.4$ Hz, 1H), 7.89 (d, $J = 7.8$ Hz, 1H), 7.85-7.84 (m, 2H), 7.63-7.56 (m, 2H);

^{13}C { ^1H } NMR (150 MHz, CDCl_3) δ 190.1, 165.9, 135.8, 135.4, 132.7, 131.7, 131.3, 130.3, 129.8, 128.7, 128.6, 128.0, 126.9, 124.5, 111.9;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{21}\text{H}_{13}\text{NO}_3\text{Na}$ 350.0788; Found 350.0784.

(E)-2-(3-(naphthalen-1-yl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1o)



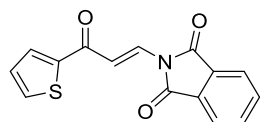
Colorless solid; mp 181-182 °C;

^1H NMR (600 MHz, CDCl_3) δ 8.46 (d, $J = 8.4$ Hz, 1H), 8.01-7.89 (m, 6H), 7.85-7.81 (m, 3H), 7.58-7.53 (m, 3H);

^{13}C { ^1H } NMR (150 MHz, CDCl_3) δ 194.9, 165.7, 136.7, 135.4, 134.0, 132.3, 131.9, 131.6, 130.6, 128.6, 127.8, 127.7, 126.6, 125.8, 124.6, 124.4, 116.7;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{21}\text{H}_{13}\text{NO}_3\text{Na}$ 350.0788; Found 350.0788.

(E)-2-(3-oxo-3-(thiophen-2-yl)prop-1-en-1-yl)isoindoline-1,3-dione (1q)



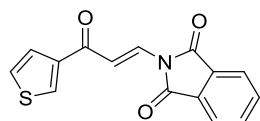
Green solid; mp 176-177 °C;

^1H NMR (600 MHz, CDCl_3) δ 8.12-8.10 (m, 1H), 8.03-8.01 (m, 1H), 7.98-7.97 (m, 2H), 7.87-7.83 (m, 3H), 7.69 (d, $J = 4.8$ Hz, 1H), 7.20-7.18 (m, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 182.5, 165.8, 145.7, 135.4, 134.3, 132.2, 131.7, 130.7, 128.4, 124.5, 111.9;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{15}\text{H}_9\text{NO}_3\text{SNa}$ 306.0195; Found 306.0194.

(E)-2-(3-oxo-3-(thiophen-3-yl)prop-1-en-1-yl)isoindoline-1,3-dione (1r)



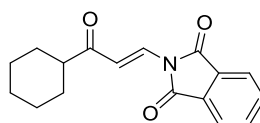
Yellow solid; mp 154-155 °C;

^1H NMR (600 MHz, CDCl_3) δ 8.18 (dd, $J = 1.2, 3.0$ Hz, 1H), 8.09-8.07 (m, 1H), 8.00-7.96 (m, 3H), 7.84-7.82 (m, 2H), 7.67 (dd, $J = 1.2, 5.4$ Hz, 1H), 7.36 (dd, $J = 3.0, 4.8$ Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 184.0, 165.8, 143.2, 135.4, 132.5, 131.6, 130.8, 127.5, 126.7, 124.4, 112.7;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{15}\text{H}_9\text{NO}_3\text{SNa}$ 306.0195; Found 306.0194.

(E)-2-(3-cyclohexyl-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (1t)



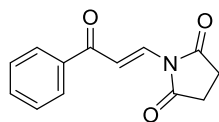
Colorless solid; mp 120-121 °C;

^1H NMR (600 MHz, CDCl_3) δ 7.96-7.93 (m, 2H), 7.88 (d, $J = 14.4$ Hz, 1H), 7.84-7.81 (m, 2H), 7.39 (d, $J = 14.4$ Hz, 1H), 2.57-2.53 (m, 1H), 1.92-1.90 (m, 2H), 1.84-1.81 (m, 2H), 1.72-1.69 (m, 1H), 1.45-1.20 (m, 5H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 203.3, 165.8, 135.3, 131.6, 129.3, 124.4, 114.4, 50.5, 28.6, 26.0, 25.8;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_3\text{Na}$ 306.1101; Found 306.1101.

(E)-1-(3-oxo-3-phenylprop-1-en-1-yl)pyrrolidine-2,5-dione (1u)



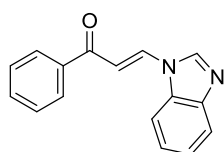
Yellow solid; mp 112-113 °C;

^1H NMR (400 MHz, CDCl_3) δ 8.17 (d, $J = 14.4$ Hz, 1H), 8.02-7.99 (m, 2H), 7.90 (d, $J = 14.4$ Hz, 1H), 7.61-7.57 (m, 1H), 7.52-7.48 (m, 2H), 2.87 (s, 4H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 190.3, 174.8, 137.7, 133.3, 131.0, 128.8, 128.6, 113.5, 27.9;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{13}\text{H}_{11}\text{NO}_3\text{Na}$ 252.0631; Found 252.0632.

(E)-3-(1H-benzo[d]imidazol-1-yl)-1-phenylprop-2-en-1-one (1v)



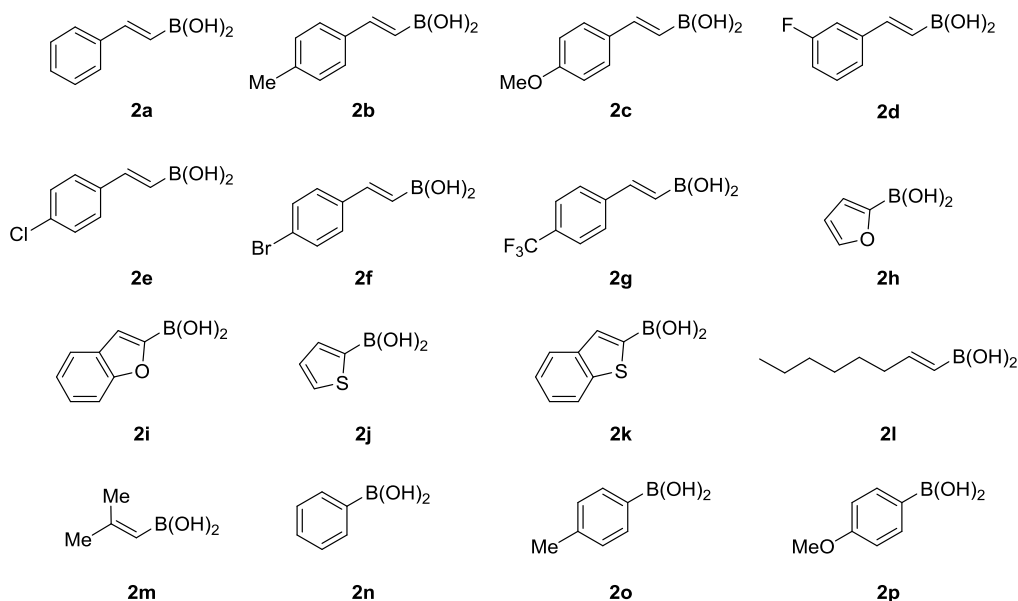
Colorless solid; mp 196-197 °C;

^1H NMR (600 MHz, CDCl_3) δ 8.35-8.32 (m, 2H), 8.06-8.04 (m, 2H), 7.87 (d, $J = 7.8$ Hz, 1H), 7.73 (d, $J = 7.8$ Hz, 1H), 7.65-7.62 (m, 1H), 7.56-7.54 (m, 2H), 7.48-7.41 (m, 3H);

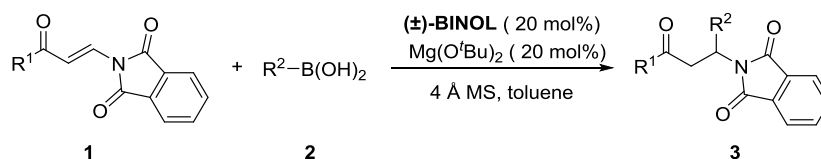
^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 189.1, 144.8, 141.8, 137.9, 135.8, 133.4, 132.5, 129.0, 128.5, 125.2, 124.7, 121.4, 111.4, 109.1;

HRMS (ESI) m/z : $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{13}\text{ON}_2$ 249.1022; Found 249.1023.

Boronic acids **2a-2c**, **2e**, and **2h-2o** were purchased from commercial suppliers and used without further purification. Boronic acids **2d**, **2f**, and **2g** were prepared according to those reported in the literature.⁵



3. General procedures for the preparation of racemic products



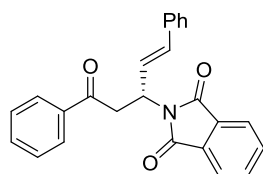
To a 10 mL Schlenk tube equipped with a stirring bar was added 4 Å MS (100 mg), and the tube was flamed-dried under high vacuum. After cooling to r.t., the tube was then backed-filled with nitrogen. Then boronic acid **2a–2m** (0.2 mmol, 2.0 equiv), $\text{Mg}(\text{O}^t\text{Bu})_2$ (0.02 mmol, 20 mol%), (\pm) -BINOL (0.02 mmol, 20 mol %), β -aminoenones **1a–1u** (0.1 mmol, 1.0 equiv), and dry toluene (1.0 mL) were successively added to the test tube under N_2 . The tube was capped, sealed and allowed to stir at 80 °C in an oil bath for 24 h. After the removal of solvents via rotary evaporation, the residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 8:1–5:1) to give pure racemic adducts **3**.

4. General procedures for the enantioselective conjugate addition of boronic acids to β -aminoenones



To a 10 mL Schlenk tube equipped with a stirring bar was added 4 Å MS (100 mg), and the tube was flamed-dried under high vacuum. After cooling to r.t., the tube was then backed-filled with nitrogen. Then boronic acid **2a–2m** (0.2 mmol, 2 equiv), **Cat 1** (0.01 mmol, 10 mol %), β -aminoenones **1a–1u** (0.1 mmol, 1.0 equiv), and dry toluene (1.0 mL) were successively added to the test tube under N_2 . The tube was capped, sealed and allowed to stir at 25 °C for 24–48 h. After the removal of solvents via rotary evaporation, the residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ ethyl acetate = 8:1–5:1) to give pure adducts **3**.

(*R,E*)-2-(5-oxo-1,5-diphenylpent-1-en-3-yl)isoindoline-1,3-dione (3aa)⁶



Colorless oil (38.0 mg, 99% yield);

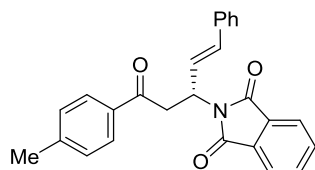
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, $\lambda =$

254 nm) t_R (minor) = 22.4 min, t_R (major) = 23.6 min, 1.0:99.0 *e.r.*, 98% *ee*; $[\alpha]_D^{26} = -19.0$ (*c* 1.0, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 7.97-7.95 (m, 2H), 7.84-7.81 (m, 2H), 7.70-7.68 (m, 2H), 7.55-7.53 (m, 1H), 7.46-7.42 (m, 2H), 7.38-7.36 (m, 2H), 7.31-7.27 (m, 2H), 7.25-7.21 (m, 1H), 6.71 (d, *J* = 16.0 Hz, 1H), 6.59 (dd, *J* = 8.0, 15.6 Hz, 1H), 5.69-5.63 (m, 1H), 4.14 (dd, *J* = 8.8, 17.6 Hz, 1H), 3.65 (dd, *J* = 5.6, 17.6 Hz, 1H);

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₁₉NO₃Na 404.1257; Found 404.1256.

(*R,E*)-2-(5-oxo-1-phenyl-5-(*p*-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ba)



Colorless oil (39.3 mg, 99% yield);

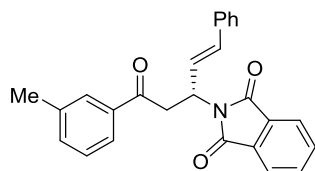
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 20.5 min, t_R (major) = 22.1 min, 1.7:98.3 *e.r.*, 97% *ee*; $[\alpha]_D^{29} = -14.2$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.86-7.81 (m, 4H), 7.69-7.68 (m, 2H), 7.36 (d, *J* = 7.8 Hz, 2H), 7.29-7.21 (m, 5H), 6.70 (d, *J* = 15.6 Hz, 1H), 6.59 (dd, *J* = 7.8, 15.6 Hz, 1H), 5.67-5.64 (m, 1H), 4.10 (dd, *J* = 9.0, 17.4 Hz, 1H), 3.62 (dd, *J* = 5.4, 17.4 Hz, 1H), 2.38 (s, 3H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.4, 168.1, 144.3, 136.2, 134.2, 134.1, 133.3, 132.1, 129.5, 128.7, 128.4, 128.1, 126.8, 126.0, 123.4, 49.2, 40.7, 21.8;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₆H₂₁NO₃Na 418.1414; Found 418.1410.

(*R,E*)-2-(5-oxo-1-phenyl-5-(*m*-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ca)



Colorless oil (38.4 mg, 97% yield);

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 7.1 min, t_R (major) = 7.7 min, 1.5:98.5 *e.r.*, 97% *ee*; $[\alpha]_D^{29} = -15.8$ (*c* 1.0, CHCl₃);

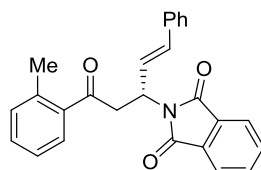
¹H NMR (400 MHz, CDCl₃) δ 7.83-7.81 (m, 2H), 7.76-7.75 (m, 2H), 7.70-7.68 (m, 2H), 7.38-7.20 (m, 7H), 6.71 (d, *J* = 16.0 Hz, 1H), 6.59 (dd, *J* = 8.0, 15.6 Hz, 1H),

5.68-5.63 (m, 1H), 4.12 (dd, $J = 8.4, 17.6$ Hz, 1H), 3.64 (dd, $J = 5.6, 17.6$ Hz, 1H), 2.38 (s, 3H);

^{13}C { ^1H } NMR (100 MHz, CDCl_3) δ 197.0, 168.1, 138.6, 136.7, 136.3, 134.3, 134.1, 133.3, 132.1, 128.8, 128.7, 128.2, 126.8, 126.0, 125.5, 123.4, 49.2, 40.9, 21.5;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{26}\text{H}_{21}\text{NO}_3\text{Na}$ 418.1414; Found 418.1411.

(*R,E*)-2-(5-oxo-1-phenyl-5-(*o*-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3da)



Colorless oil (39.4 mg, 99% yield);

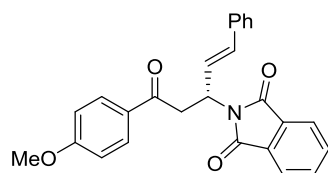
HPLC (Daicel Chiralpak IC, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 9.1 min, t_R (major) = 10.4 min, 1.0:99.0 *e.r.*, 98% *ee*; $[\alpha]_D^{29} = -0.5$ (c 1.0, CHCl_3);

^1H NMR (600 MHz, CDCl_3) δ 7.83-7.81 (m, 2H), 7.71-7.68 (m, 3H), 7.37-7.33 (m, 3H), 7.30-7.27 (m, 2H), 7.25-7.19 (m, 3H), 6.69 (d, $J = 15.6$ Hz, 1H), 6.56 (dd, $J = 7.8, 15.6$ Hz, 1H), 5.62-5.59 (m, 1H), 4.05 (dd, $J = 8.4, 16.8$ Hz, 1H), 3.56 (dd, $J = 6.0, 17.4$ Hz, 1H), 2.41 (s, 3H);

^{13}C { ^1H } NMR (150 MHz, CDCl_3) δ 200.6, 168.1, 138.6, 137.5, 136.2, 134.1, 133.4, 132.2, 132.1, 131.7, 128.9, 128.7, 128.2, 126.8, 125.90, 125.85, 123.4, 49.5, 43.6, 21.4;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{26}\text{H}_{21}\text{NO}_3\text{Na}$ 418.1414; Found 418.1411.

(*R,E*)-2-(5-(4-methoxyphenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ea)⁶



Colorless solid (38.2 mg, 93% yield); mp 102-103 °C;

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 10.2 min, t_R (major) = 15.5 min, 1.3:98.7 *e.r.*, 97% *ee*; $[\alpha]_D^{29} = -23.1$ (c 2.0, CHCl_3);

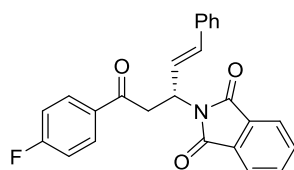
^1H NMR (600 MHz, CDCl_3) δ 7.95-7.93 (m, 2H), 7.83-7.81 (m, 2H), 7.70-7.67 (m, 2H), 7.38-7.36 (m, 2H), 7.30-7.27 (m, 2H), 7.24-7.21 (m, 1H), 6.92-6.90 (m, 2H),

6.70 (d, $J = 16.2$ Hz, 1H), 6.59 (dd, $J = 7.8, 15.6$ Hz, 1H), 5.67-5.63 (m, 1H), 4.08 (dd, $J = 8.4, 17.4$ Hz, 1H), 3.85 (s, 3H), 3.59 (dd, $J = 6.0, 17.4$ Hz, 1H);

^{13}C { ^1H } NMR (150 MHz, CDCl_3) δ 195.2, 168.1, 163.8, 136.2, 134.0, 133.2, 132.1, 130.5, 129.8, 128.6, 128.1, 126.8, 126.1, 123.4, 113.9, 55.6, 49.3, 40.4;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{26}\text{H}_{21}\text{NO}_4\text{Na}$ 434.1363; Found 434.1360.

(*R,E*)-2-(5-(4-fluorophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3fa)⁶



Colorless solid (39.7 mg, 99% yield); mp 106-107 °C;

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 7.9 min, t_R (major) = 11.3 min, 1.3:98.7 *e.r.*, 97% *ee*; $[\alpha]_D^{26} = -8.6$ (*c* 2.0, CHCl_3);

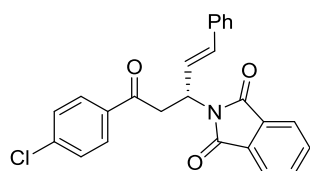
^1H NMR (600 MHz, CDCl_3) δ 8.00-7.98 (m, 2H), 7.83-7.82 (m, 2H), 7.71-7.69 (m, 2H), 7.37 (d, $J = 7.8$ Hz, 2H), 7.30-7.22 (m, 3H), 7.11 (t, $J = 8.4$ Hz, 2H), 6.71 (d, $J = 16.2$ Hz, 1H), 6.58 (dd, $J = 8.4, 16.2$ Hz, 1H), 5.66-5.63 (m, 1H), 4.11 (dd, $J = 9.0, 18.0$ Hz, 1H), 3.61 (dd, $J = 5.4, 18.0$ Hz, 1H);

^{13}C { ^1H } NMR (150 MHz, CDCl_3) δ 168.1, 166.0(d, $J = 253.5$ Hz), 136.2, 134.2, 135.5, 133.1 (d, $J = 3.0$ Hz), 132.0, 130.9 (d, $J = 10.5$ Hz), 128.7, 128.2, 126.8, 125.7, 123.5, 115.9 (d, $J = 22.5$ Hz), 49.2, 40.8;

^{19}F { ^1H } NMR (564 MHz, CDCl_3) δ -104.6;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{FNO}_3\text{Na}$ 422.1163; Found 422.1161.

(*R,E*)-2-(5-(4-chlorophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ga)



Colorless oil (41.1 mg, 99% yield);

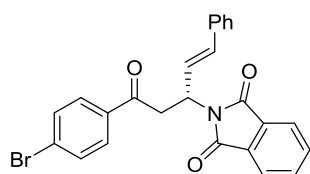
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 18.2 min, t_R (major) = 20.5 min, 1.3:98.7 *e.r.*, 97% *ee*; $[\alpha]_D^{29} = -16.8$ (*c* 2.0, CHCl_3);

^1H NMR (600 MHz, CDCl_3) δ 7.90 (d, $J = 8.4$ Hz, 1H), 7.83-7.82 (m, 2H), 7.70-7.69 (m, 2H), 7.42-7.36 (m, 4H), 7.30-7.28 (m, 2H), 7.24-7.22 (m, 1H), 6.70 (d, $J = 16.2$ Hz, 1H), 6.57 (dd, $J = 7.8, 15.6$ Hz, 1H), 5.66-5.62 (m, 1H), 4.11 (dd, $J = 9.0, 18.0$ Hz, 1H), 3.61 (dd, $J = 5.4, 17.4$ Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 195.6, 168.1, 140.0, 136.1, 135.0, 134.2, 133.5, 132.0, 129.7, 129.2, 128.7, 128.3, 126.8, 125.7, 123.5, 49.1, 40.8;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{ClNO}_3\text{Na}$ 438.0867; Found 438.0865.

(*R,E*)-2-(5-(4-bromophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ha)



Colorless solid (45.1 mg, 98% yield); mp 65-66 $^{\circ}\text{C}$;

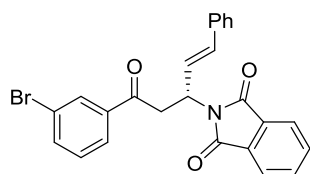
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 9.5 min, t_R (major) = 17.2 min, 1.6:98.4 *e.r.*, 97% *ee*; $[\alpha]_{\text{D}}^{28} = -13.7$ (*c* 2.0, CHCl_3);

^1H NMR (400 MHz, CDCl_3) δ 7.84-7.80 (m, 4H), 7.71-7.69 (m, 2H), 7.60-7.57 (m, 2H), 7.38-7.35 (m, 2H), 7.31-7.27 (m, 2H), 7.25-7.23 (m, 1H), 6.71 (d, $J = 16.0$ Hz, 1H), 6.57 (dd, $J = 8.0, 15.6$ Hz, 1H), 5.66-5.62 (m, 1H), 4.10 (dd, $J = 8.8, 17.6$ Hz, 1H), 3.60 (dd, $J = 5.6, 17.6$ Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 195.8, 168.1, 136.1, 135.4, 134.2, 133.6, 132.1, 132.0, 129.8, 128.8, 128.7, 128.3, 126.8, 125.7, 123.5, 49.1, 40.8;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{NO}_3\text{BrNa}$ 482.0362; Found 482.0361.

(*R,E*)-2-(5-(3-bromophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ia)



Colorless oil (45.3 mg, 98% yield);

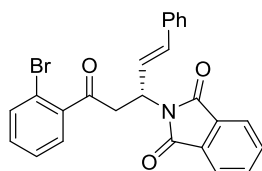
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 9.0 min, t_R (major) = 10.8 min, 2.0:98.0 *e.r.*, 96% *ee*; $[\alpha]_{\text{D}}^{29} = -13.3$ (*c* 2.0, CHCl_3);

^1H NMR (400 MHz, CDCl_3) δ 8.08-8.07 (m, 1H), 7.89-7.82 (m, 3H), 7.71-7.66 (m, 3H), 7.38-7.27 (m, 5H), 7.25-7.23 (m, 1H), 6.71 (d, $J = 16.0$ Hz, 1H), 6.57 (dd, $J = 8.0$ 16.0 Hz, 1H), 5.65-5.62 (m, 1H), 4.11 (dd, $J = 8.8$, 18.0 Hz, 1H), 3.62 (dd, $J = 5.6$, 18.0 Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 195.5, 168.1, 138.3, 136.4, 136.1, 134.2, 133.6, 132.0, 131.4, 130.4, 128.7, 128.3, 126.82, 126.79, 125.6, 123.5, 123.2, 49.0, 41.0;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{NO}_3\text{BrNa}$ 482.0362; Found 428.0363.

(*R,E*)-2-(5-(2-bromophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ja)



Colorless oil (44.4 mg, 97% yield);

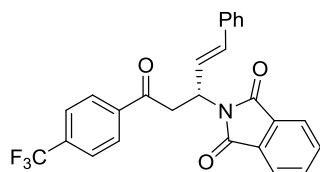
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 15.3 min, t_R (major) = 17.5 min, 1.2:98.8 *e.r.*, 98% *ee*; $[\alpha]_D^{26} = +5.8$ (*c* 2.0, CHCl_3);

^1H NMR (600 MHz, CDCl_3) δ 7.84-7.82 (m, 2H), 7.72-7.69 (m, 2H), 7.58 (d, $J = 7.8$ Hz, 1H), 7.40-7.22 (m, 8H), 6.69 (d, $J = 16.2$ Hz, 1H), 6.54 (dd, $J = 7.8$, 15.6 Hz, 1H), 5.61-5.57 (m, 1H), 4.03 (dd, $J = 9.0$, 18.0 Hz, 1H), 3.65 (dd, $J = 6.0$, 17.4 Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 200.5, 168.0, 141.0, 136.1, 134.1, 133.9, 133.6, 132.02, 132.01, 128.9, 128.7, 128.2, 127.6, 126.8, 125.5, 123.4, 119.0, 49.2, 44.7;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{NO}_3\text{BrNa}$ 482.0362; Found 428.0360.

(*R,E*)-2-(5-oxo-1-phenyl-5-(4-(trifluoromethyl)phenyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ka)



Colorless oil (44.8 mg, 99% yield);

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, $\lambda =$

254 nm) t_R (minor) = 9.4 min, t_R (major) = 19.3 min, 0.8:99.2 *e.r.*, 98% *ee*; $[\alpha]_D^{26} = -11.6$ (*c* 2.0, CHCl₃);

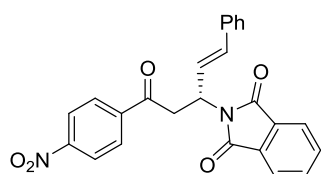
¹H NMR (400 MHz, CDCl₃) δ 8.06 (d, *J* = 7.8 Hz, 2H), 7.84-7.82 (m, 2H), 7.72-7.69 (m, 4H), 7.38-7.36 (m, 2H), 7.31-7.22 (m, 3H), 6.72 (d, *J* = 16.2 Hz, 1H), 6.58 (dd, *J* = 7.8, 15.6 Hz, 1H), 5.68-5.62 (m, 1H), 4.18 (dd, *J* = 9.0, 18.0 Hz, 1H), 3.66 (dd, *J* = 5.4, 17.4 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.0, 168.1, 139.2, 136.1, 134.8 (q, *J* = 33.0 Hz), 134.2, 133.7, 132.0, 128.7, 128.6, 128.3, 126.8, 125.9 (q, *J* = 3.0 Hz), 125.5, 123.6 (q, *J* = 270.0 Hz), 123.5, 49.1, 41.2;

¹⁹F {¹H} NMR (564 MHz, CDCl₃) δ -63.2;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₆H₁₈NO₃F₃Na 472.1131; Found 472.1131.

(*R,E*)-2-(5-(4-nitrophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3la)



Yellow solid (41.3 mg, 97% yield); mp 116-118 °C;

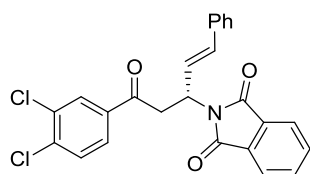
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 19.5 min, t_R (major) = 43.0 min, 2.1:97.9 *e.r.*, 96% *ee*; $[\alpha]_D^{26} = -18.2$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 8.31-8.29 (m, 2H), 8.12-8.10 (m, 2H), 7.85-7.82 (m, 2H), 7.73-7.70 (m, 2H), 7.38-7.37 (m, 2H), 7.31-7.29 (m, 2H), 7.26-7.23 (m, 1H), 6.73 (d, *J* = 16.2 Hz, 1H), 6.57 (dd, *J* = 8.4, 15.6 Hz, 1H), 5.67-5.63 (m, 1H), 4.20 (dd, *J* = 8.4, 18.0 Hz, 1H), 3.69 (dd, *J* = 5.4, 18.0 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 195.4, 168.1, 150.6, 140.9, 136.0, 134.3, 133.9, 131.9, 129.3, 128.8, 128.4, 126.8, 125.3, 124.1, 123.5, 49.0, 41.5;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₁₈N₂O₅Na 449.1108; Found 449.1109.

(*R,E*)-2-(5-(3,4-dichlorophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ma)



Colorless solid (42.8 mg, 95% yield); mp 165-167 °C;

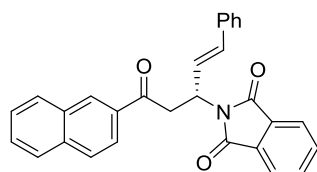
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 9.4 min, t_R (major) = 17.1 min, 1.7:98.3 *e.r.*, 97% *ee*; $[\alpha]_D^{28} = -18.0$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 8.02 (d, *J* = 1.8 Hz, 1H), 7.84-7.77 (m, 3H), 7.71-7.69 (m, 2H), 7.53-7.52 (m, 1H), 7.37-7.36 (m, 2H), 7.30-7.27 (m, 2H), 7.26-7.22 (m, 1H), 6.71 (d, *J* = 15.6 Hz, 1H), 6.56 (dd, *J* = 7.8, 15.6 Hz, 1H), 5.64-5.61 (m, 1H), 4.10 (dd, *J* = 9.0, 18.0 Hz, 1H), 3.60 (dd, *J* = 5.4, 17.4 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 194.7, 168.1, 138.2, 136.11, 136.05, 134.2, 133.7, 133.6, 132.0, 131.0, 130.3, 128.7, 128.3, 127.3, 126.8, 125.4, 123.5, 49.0, 40.9;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₁₇NO₃Cl₂Na 472.0478; Found 472.0477.

(*R,E*)-2-(5-(naphthalen-2-yl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3na)



Colorless oil (43.0 mg, 99% yield);

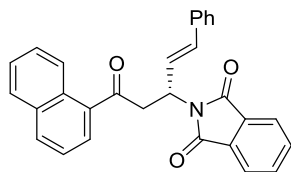
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 8.6 min, t_R (major) = 11.6 min, 1.8:98.2 *e.r.*, 96% *ee*; $[\alpha]_D^{28} = -29.5$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 8.50 (s, 1H), 8.01-7.99 (m, 1H), 7.95 (d, *J* = 7.8 Hz, 1H), 7.86-7.80 (m, 4H), 7.68-7.65 (m, 2H), 7.59-7.52 (m, 2H), 7.39-7.37 (m, 2H), 7.30-7.27 (m, 2H), 7.23-7.21 (m, 1H), 6.74 (d, *J* = 15.6 Hz, 1H), 6.64 (dd, *J* = 7.8, 15.6 Hz, 1H), 5.75-5.71 (m, 1H), 4.27 (dd, *J* = 9.0, 18.0 Hz, 1H), 3.78 (dd, *J* = 5.4, 17.4 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.7, 168.2, 136.2, 135.9, 134.1, 134.0, 133.4, 132.6, 132.1, 130.1, 129.8, 128.8, 128.7, 128.2, 127.9, 127.0, 126.8, 126.0, 123.8, 123.5, 49.3, 40.9;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₉H₂₁NO₃Na 454.1414; Found 454.1414.

(*R,E*)-2-(5-(naphthalen-1-yl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3oa)



Colorless solid (42.9 mg, 99% yield); mp 165-166 °C;

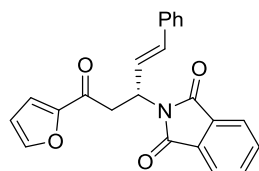
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 9.4 min, t_R (minor) = 14.0 min, 99.0:1.0 *e.r.*, 98% *ee*; $[\alpha]_D^{27} = +5.7$ (*c* 2.0, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 8.55-8.53 (m, 1H), 7.96 (d, *J* = 7.6 Hz, 1H), 7.84-7.78 (m, 3H), 7.70-7.67 (m, 2H), 7.52-7.46 (m, 3H), 7.37-7.35 (m, 2H), 7.30-7.27 (m, 2H), 7.24-7.21 (m, 1H), 6.72 (d, *J* = 16.0 Hz, 1H), 6.60 (dd, *J* = 8.0, 16.0 Hz, 1H), 5.74-5.68 (m, 1H), 4.27 (dd, *J* = 8.8, 17.2 Hz, 1H), 3.68 (dd, *J* = 6.0, 17.2 Hz, 1H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 200.7, 168.1, 136.2, 135.2, 134.1, 134.0, 133.5, 133.2, 132.0, 130.2, 128.7, 128.5, 128.3, 128.17, 128.15, 126.8, 126.6, 125.9, 125.8, 124.5, 123.4, 49.6, 44.0;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₉H₂₁NO₃Na 454.1414; Found 454.1411.

(*R,E*)-2-(5-(furan-2-yl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3pa)



Colorless oil (35.3 mg, 95% yield);

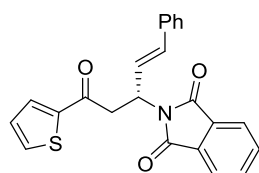
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 7.8 min, t_R (major) = 10.0 min, 2.5:97.5 *e.r.*, 95% *ee*; $[\alpha]_D^{26} = +0.3$ (*c* 2.0, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 7.86-7.80 (m, 2H), 7.71-7.61 (m, 2H), 7.56 (d, *J* = 1.2 Hz, 1H), 7.38-7.35 (m, 2H), 7.30-7.27 (m, 2H), 7.24-7.21 (m, 2H), 6.70 (d, *J* = 16.0 Hz, 1H), 6.58 (dd, *J* = 8.0, 15.6 Hz, 1H), 6.51 (dd, *J* = 1.6, 3.6 Hz, 1H), 5.64-5.58 (m, 1H), 3.90 (dd, *J* = 8.8, 16.8 Hz, 1H), 3.55 (dd, *J* = 6.0, 16.8 Hz, 1H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 185.7, 168.0, 152.5, 146.8, 136.2, 134.1, 133.5, 132.1, 128.7, 128.2, 126.8, 125.7, 123.4, 117.8, 112.5, 49.1, 40.8;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₃H₁₇NO₄Na 394.1050; Found 394.1050.

(*R,E*)-2-(5-oxo-1-phenyl-5-(thiophen-2-yl)pent-1-en-3-yl)isoindoline-1,3-dione

(3qa)

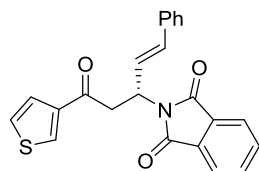
Colorless solid (38.6 mg, 99% yield); mp 101-103 °C;

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 8.1 min, t_R (major) = 9.5 min, 1.6:98.4 *e.r.*, 97% *ee*; $[\alpha]_D^{27} = -11.7$ (*c* 2.0, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 7.83-7.61 (m, 6H), 7.37-7.21 (m, 5H), 7.13-7.10 (m, 1H), 6.70 (d, *J* = 16.0 Hz, 1H), 6.58 (dd, *J* = 8.0, 16.0 Hz, 1H), 5.66-5.61 (m, 1H), 4.04 (dd, *J* = 8.8, 16.8 Hz, 1H), 3.59 (dd, *J* = 5.6, 16.8 Hz, 1H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 189.6, 168.0, 143.9, 136.1, 134.3, 134.1, 133.5, 132.5, 132.0, 128.7, 128.3, 128.2, 126.8, 125.6, 123.5, 49.3, 41.5;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₃H₁₇NO₃SNa 410.0821; Found 410.0820.

(*R,E*)-2-(5-oxo-1-phenyl-5-(thiophen-3-yl)pent-1-en-3-yl)isoindoline-1,3-dione**(3ra)**

Colorless solid (37.4 mg, 97% yield); mp 52-54 °C;

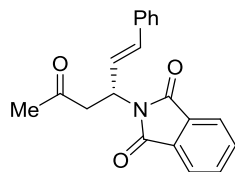
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 8.1 min, t_R (major) = 10.1 min, 1.7:98.3 *e.r.*, 97% *ee*; $[\alpha]_D^{26} = -13.7$ (*c* 2.0, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 8.11-8.09 (m, 1H), 7.84-7.80 (m, 2H), 7.71-7.67 (m, 2H), 7.53-7.52 (m, 1H), 7.38-7.36 (m, 2H), 7.30-7.21 (m, 4H), 6.70 (d, *J* = 16.0 Hz, 1H), 6.57 (dd, *J* = 8.0, 15.6 Hz, 1H), 5.66-5.61 (m, 1H), 4.03 (dd, *J* = 8.8, 17.2 Hz, 1H), 3.54 (dd, *J* = 6.0, 17.2 Hz, 1H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 191.0, 168.1, 142.0, 136.2, 134.1, 133.4, 132.6, 132.1, 128.7, 128.2, 127.0, 126.8, 126.7, 125.8, 123.5, 49.2, 42.0;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₃H₁₇NO₃SNa 410.0821; Found 410.0816.

(*R,E*)-2-(5-oxo-1-phenylhex-1-en-3-yl)isoindoline-1,3-dione (3sa)



Colorless oil (31.7 mg, 99% yield);

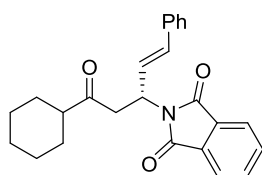
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 6.5 min, t_R (major) = 7.0 min, 1.1:98.9 *e.r.*, 98% *ee*; $[\alpha]_D^{28} = +2.8$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.84-7.81 (m, 2H), 7.71-7.69 (m, 2H), 7.36-7.34 (m, 2H), 7.29-7.26 (m, 2H), 7.24-7.21 (m, 1H), 6.65 (d, *J* = 15.6 Hz, 1H), 6.47 (dd, *J* = 8.4, 15.6 Hz, 1H), 5.46-5.43 (m, 1H), 3.52 (dd, *J* = 8.4, 17.4 Hz, 1H), 3.16 (dd, *J* = 6.0, 17.4 Hz, 1H), 2.17 (s, 3H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 205.2, 168.0, 136.1, 134.1, 133.3, 132.0, 128.7, 128.2, 126.8, 125.6, 123.4, 48.8, 45.6, 30.4;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₀H₁₇NO₃Na 342.1101; Found 342.1094.

(*R,E*)-2-(5-cyclohexyl-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ta)



Colorless solid (38.5 mg, 99% yield); mp 101-103 °C;

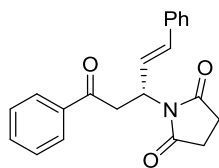
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (minor) = 5.5 min, t_R (major) = 6.3 min, 0.8:99.2 *e.r.*, 98% *ee*; $[\alpha]_D^{28} = -2.6$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.84-7.81 (m, 2H), 7.71-7.68 (m, 2H), 7.35-7.34 (m, 2H), 7.29-7.27 (m, 2H), 7.23-7.21 (m, 2H), 6.63 (d, *J* = 15.6 Hz, 1H), 6.47 (dd, *J* = 8.4, 16.2 Hz, 1H), 5.47-5.43 (m, 1H), 3.54 (dd, *J* = 8.4, 17.4 Hz, 1H), 3.15 (dd, *J* = 6.0, 18.0 Hz, 1H), 2.36-2.32 (m, 1H), 1.84-1.63 (m, 5H), 1.35-1.12 (m, 5H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 210.5, 168.1, 136.2, 134.1, 133.2, 132.1, 128.7, 128.1, 126.7, 125.9, 123.4, 51.2, 48.8, 42.6, 28.4, 28.3, 25.9, 25.69, 25.66;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₂₅NO₃Na 410.1727; Found 410.1724.

(*R,E*)-1-(5-oxo-1,5-diphenylpent-1-en-3-yl)pyrrolidine-2,5-dione (3ua)



Colorless solid (29.2 mg, 88% yield); mp 117-118 °C;

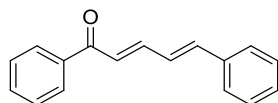
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 13.8 min, t_R (major) = 16.0 min, 1.3:98.7 *e.r.*, 97% *ee*; $[\alpha]_D^{29} = -10.4$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.94 (d, *J* = 7.8 Hz, 1H), 7.58-7.56 (m, 1H), 7.47-7.45 (m, 2H), 7.37 (d, *J* = 7.2 Hz, 2H), 7.31-7.29 (m, 2H), 7.26-7.23 (m, 1H), 6.68 (d, *J* = 15.6 Hz, 1H), 6.53 (dd, *J* = 8.4, 16.2 Hz, 1H), 5.49-5.45 (m, 1H), 4.07 (dd, *J* = 9.0, 17.4 Hz, 1H), 3.51 (dd, *J* = 5.4, 17.4 Hz, 1H), 2.66 (s, 4H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 197.0, 177.1, 136.6, 136.1, 133.9, 133.6, 128.8, 128.7, 128.3, 128.2, 126.8, 125.1, 50.1, 39.9, 28.2;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₁H₁₉NO₃Na 356.1257; Found 356.1256.

(2E,4E)-1,5-diphenylpenta-2,4-dien-1-one (4)⁷

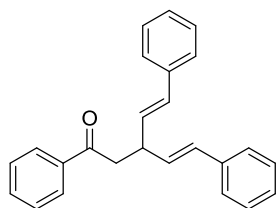


Yellow solid; mp 97-98 °C;

¹H NMR (400 MHz, CDCl₃) δ 7.99-7.97 (m, 2H), 7.64-7.47 (m, 6H), 7.40-7.33 (m, 3H), 7.10 (d, *J* = 14.8 Hz, 1H), 7.04-7.02 (m, 2H).

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₁₇H₁₄ONa 257.0937; Found 257.0926.

(E)-1,5-diphenyl-3-((E)-styryl)pent-4-en-1-one (5)⁸

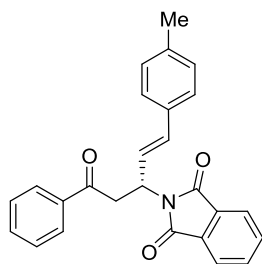


Colorless solid (21.9 mg, 65% yield); mp 66-68 °C;

¹H NMR (400 MHz, CDCl₃) δ 7.99-7.96 (m, 2H), 7.58-7.54 (m, 1H), 7.48-7.44 (m, 2H), 7.36-7.26 (m, 8H), 7.22-7.18 (m, 2H), 6.49 (d, *J* = 16.0 Hz, 2H), 6.29 (dd, *J* = 7.2, 16.0 Hz, 2H), 3.90-3.83 (m, 1H), 3.29 (d, *J* = 6.8 Hz, 2H).

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₂₂ONa 361.1563; Found 361.1547.

(R,E)-2-(5-oxo-5-phenyl-1-(*p*-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ab)⁶



Colorless solid (39.1 mg, 99% yield); mp 110-111 °C;

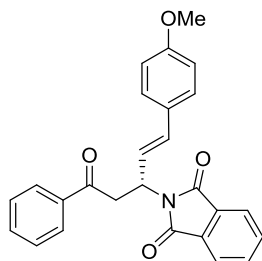
HPLC (Daicel Chiralpak IC, hexane/*i*-PrOH = 90:10, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 27.4 min, t_R (major) = 29.4 min, 2.5:97.5 *e.r.*, 95% *ee*; $[\alpha]_D^{28}$ = -3.7 (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.96-7.95 (m, 2H), 7.83-7.80 (m, 2H), 7.70-7.67 (m, 2H), 7.56-7.53 (m, 1H), 7.45-7.43 (m, 2H), 7.27-7.25 (m, 2H), 7.09 (d, *J* = 8.4 Hz, 2H), 6.67 (d, *J* = 15.6 Hz, 1H), 6.54 (dd, *J* = 7.8, 15.6 Hz, 1H), 5.66-5.62 (m, 1H), 4.14 (dd, *J* = 8.4, 17.4 Hz, 1H), 3.63 (dd, *J* = 6.0, 18.0 Hz, 1H), 2.31 (s, 3H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.9, 168.1, 138.1, 136.7, 134.1, 133.5, 133.4, 133.3, 132.1, 129.4, 128.8, 128.3, 126.7, 124.8, 123.4, 49.3, 40.9, 21.3;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₆H₂₁NO₃Na 418.1414; Found 418.1411.

(*R,E*)-2-(1-(4-methoxyphenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ac)⁶



Colorless solid (16.7 mg, 41% yield); mp 50-51 °C;

HPLC (Daicel Chiralpak IC, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 12.7 min, t_R (major) = 14.5 min, 9.9:90.1 *e.r.*, 80% *ee*; $[\alpha]_D^{28}$ = -6.7 (*c* 1.0, CHCl₃);

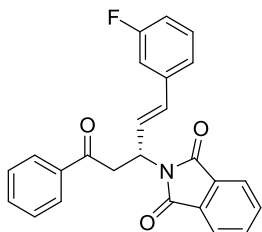
¹H NMR (600 MHz, CDCl₃) δ 7.96-7.95 (m, 2H), 7.83-7.80 (m, 2H), 7.70-7.68 (m, 2H), 7.56-7.53 (m, 1H), 7.45-7.43 (m, 2H), 7.30 (d, *J* = 8.4 Hz, 2H), 6.82 (d, *J* = 9.0 Hz, 2H), 6.66 (d, *J* = 15.6 Hz, 1H), 6.45 (dd, *J* = 8.4, 15.6 Hz, 1H), 5.65-5.61 (m, 1H), 4.13 (dd, *J* = 9.0, 18.0 Hz, 1H), 3.79 (s, 3H), 3.63 (dd, *J* = 5.4, 17.4 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.9, 168.2, 159.7, 136.7, 134.1, 133.5, 132.9, 132.1, 129.0, 128.8, 128.3, 128.0, 123.7, 123.4, 114.1, 55.4, 49.3, 41.0;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₆H₂₁NO₄Na 434.1363; Found

434.1363.

**(*R,E*)-2-(1-(3-fluorophenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione
(3ad)**



Colorless solid (39.8 mg, 99% yield); mp 120-121 °C;

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 9.3 min, t_R (minor) = 10.4 min, 98.6:1.4 *e.r.*, 97% *ee*; $[\alpha]_D^{28}$ = -8.0 (*c* 2.0, CHCl₃);

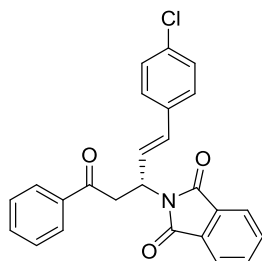
¹H NMR (600 MHz, CDCl₃) δ 7.96 (d, *J* = 7.2 Hz, 2H), 7.85-7.82 (m, 2H), 7.71-7.69 (m, 2H), 7.56 (t, *J* = 7.2 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.25-7.23 (m, 1H), 7.12 (d, *J* = 7.8 Hz, 1H), 7.08-7.06 (m, 1H), 6.94-6.90 (m, 1H), 6.67 (d, *J* = 16.2 Hz, 1H), 6.59 (dd, *J* = 7.8, 15.6 Hz, 1H), 5.68-5.65 (m, 1H), 4.11 (dd, *J* = 8.4, 17.4 Hz, 1H), 3.67 (dd, *J* = 6.0, 18.0 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.7, 168.1, 163.1 (d, *J* = 244.5 Hz), 138.6 (d, *J* = 7.5 Hz), 136.6, 134.2, 133.6, 132.2 (d, *J* = 1.5 Hz), 132.0, 130.1 (d, *J* = 9.0 Hz), 128.8, 128.3, 127.4, 123.5, 122.7 (d, *J* = 3.0 Hz), 115.0 (d, *J* = 21.0 Hz), 113.2 (d, *J* = 21.0 Hz), 48.9, 40.8;

¹⁹F {¹H} NMR (564 MHz, CDCl₃) δ -133.4;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₁₈NO₃FNa 422.1163; Found 422.1161.

**(*R,E*)-2-(1-(4-chlorophenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione
(3ae)⁶**



Colorless solid (41.5 mg, 99% yield); mp 136-138 °C;

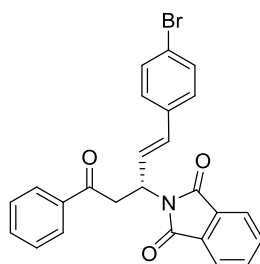
HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 9.9 min, t_R (minor) = 12.2 min, 98.3:1.7 *e.r.*, 97% *ee*; $[\alpha]_D^{28}$ = -7.1 (*c* 2.0, CHCl₃);

^1H NMR (600 MHz, CDCl_3) δ 7.96-7.95 (m, 2H), 7.84-7.82 (m, 2H), 7.71-7.69 (m, 2H), 7.57-7.54 (m, 1H), 7.46-7.43 (m, 2H), 7.30-7.24 (m, 4H), 6.65 (d, $J = 16.2$ Hz, 1H), 6.56 (d, $J = 8.4, 16.2$ Hz, 1H), 5.67-5.64 (m, 1H), 4.10 (dd, $J = 8.4, 17.4$ Hz, 1H), 3.67 (dd, $J = 6.0, 18.0$ Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 196.3, 168.1, 144.5, 134.8, 134.2, 134.1, 133.8, 132.1, 129.5, 128.9, 128.4, 128.0, 126.7, 123.5, 49.1, 40.7, 21.8;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{NO}_3\text{ClNa}$ 438.0867; Found 438.0862.

(*R,E*)-2-(1-(4-bromophenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3af)



Colorless solid (45.2 mg, 98% yield); mp 131-133 °C;

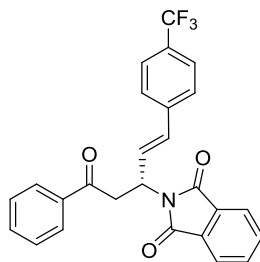
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, $\lambda = 254$ nm) t_R (major) = 20.0 min, t_R (minor) = 23.3 min, 98.8:1.2 *e.r.*, 98% *ee*; $[\alpha]_D^{28} = +2.6$ (*c* 2.0, CHCl_3);

^1H NMR (600 MHz, CDCl_3) δ 7.96- 7.95 (m, 2H), 7.84-7.82 (m, 2H), 7.72-7.69 (m, 2H), 7.57-7.54 (m, 1H), 7.46-7.40 (m, 4H), 7.24-7.22 (m, 2H), 6.64 (d, $J = 16.2$ Hz, 1H), 6.57 (dd, $J = 7.8, 15.6$ Hz, 1H), 5.67-5.63 (m, 1H), 4.10 (dd, $J = 8.4, 18.0$ Hz, 1H), 3.67 (dd, $J = 5.4, 17.4$ Hz, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 196.7, 168.1, 136.6, 135.2, 134.2, 133.6, 132.2, 132.0, 131.8, 128.9, 128.33, 128.27, 126.7, 123.5, 122.0, 49.0, 40.8;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{25}\text{H}_{18}\text{NO}_3\text{BrNa}$ 482.0362; Found 482.0360.

(*R,E*)-2-(5-oxo-5-phenyl-1-(4-(trifluoromethyl)phenyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ag)⁶



Colorless solid (44.9 mg, 99% yield); mp 106-108 °C;

HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 26.6 min, t_R (minor) = 29.2 min, 97.0:3.0 *e.r.*, 94% *ee*; $[\alpha]_D^{27}$ = -10.4 (*c* 2.0, CHCl₃);

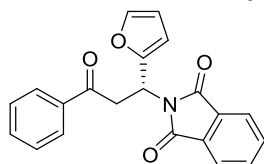
¹H NMR (600 MHz, CDCl₃) δ 7.97-7.96 (m, 2H), 7.85-7.84 (m, 2H), 7.72-7.70 (m, 2H), 7.58-7.53 (m, 3H), 7.47-7.44 (m, 4H), 6.73 (d, *J* = 15.6 Hz, 1H), 6.67 (dd, *J* = 7.8, 16.2 Hz, 1H), 5.71-5.67 (m, 1H), 4.10 (dd, *J* = 8.4, 18.0 Hz, 1H), 3.74 (dd, *J* = 6.0, 17.4 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.6, 168.1, 139.7, 136.5, 134.2, 133.6, 131.98, 131.95, 129.9 (*q*, *J* = 33.0 Hz), 128.8, 128.7, 128.2, 127.0, 125.6 (*q*, *J* = 3.0 Hz), 124.2 (*q*, *J* = 270.0 Hz), 123.5, 48.9, 40.7;

¹⁹F {¹H} NMR (564 MHz, CDCl₃) δ -62.58;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₆H₁₈NO₃F₃Na 472.1131; Found 472.1124.

(*R*)-2-(1-(furan-2-yl)-3-oxo-3-phenylpropyl)isoindoline-1,3-dione (3ah)



Colorless oil (34.5 mg, 99% yield);

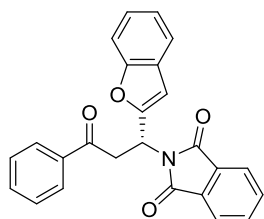
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 17.5 min, t_R (major) = 19.5 min, 6.0:94.0 *e.r.*, 88% *ee*; $[\alpha]_D^{28}$ = -15.3 (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.99-7.98 (m, 2H), 7.84-7.81 (m, 2H), 7.70-7.68 (m, 2H), 7.57 (t, *J* = 7.2 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 1H), 7.34 (d, *J* = 1.2 Hz, 1H), 6.37 (d, *J* = 3.6 Hz, 1H), 6.33-6.32 (m, 1H), 6.18 (dd, *J* = 5.4, 9.0 Hz, 1H), 4.40 (dd, *J* = 9.0, 18.0 Hz, 1H), 3.91 (dd, *J* = 5.4, 18.0 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.3, 167.9, 151.8, 142.3, 136.4, 134.2, 133.6, 132.0, 128.8, 128.3, 123.5, 110.6, 107.7, 43.9, 38.8;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₁H₁₅NO₄Na 368.0893; Found 368.0895.

(*R*)-2-(1-(benzofuran-2-yl)-3-oxo-3-phenylpropyl)isoindoline-1,3-dione (3ai)⁶



Colorless solid (39.4 mg, 99% yield); mp 108-110 °C;

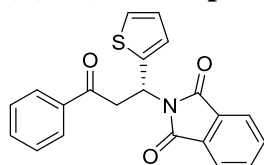
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 70:30, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 16.1 min, t_R (major) = 20.6 min, 4.7:95.3 *e.r.*, 90% *ee*; $[\alpha]_D^{28}$ = -1.5 (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 8.02-8.00 (m, 2H), 7.85-7.83 (m, 2H), 7.72-7.70 (m, 2H), 7.60-7.57 (m, 1H), 7.51-7.42 (m, 4H), 7.25-7.23 (m, 1H), 7.20-7.17 (m, 1H), 6.75 (s, 1H), 6.33-6.31 (m, 1H), 4.49 (dd, *J* = 9.0, 18.0 Hz, 1H), 4.04 (dd, *J* = 5.4, 18.6 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.0, 167.8, 154.8, 154.4, 136.3, 134.2, 133.7, 131.9, 128.9, 128.3, 128.2, 124.5, 123.6, 123.0, 121.2, 111.5, 104.5, 44.4, 38.7;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₁₇NO₄Na 418.1050; Found 418.1050.

(*R*)-2-(3-oxo-3-phenyl-1-(thiophen-2-yl)propyl)isoindoline-1,3-dione (3aj)



Colorless oil (32.3 mg, 90% yield);

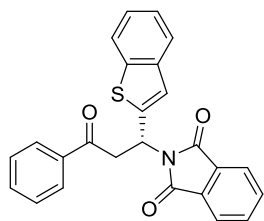
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 18.3 min, t_R (major) = 19.5 min, 3.1:96.9 *e.r.*, 94% *ee*; $[\alpha]_D^{28}$ = -40.2 (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.98-7.97 (m, 2H), 7.82-7.79 (m, 2H), 7.69-7.66 (m, 2H), 7.58-7.55 (m, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.23-7.22 (m, 2H), 6.95 (dd, *J* = 3.6, 5.4 Hz, 1H), 6.36 (dd, *J* = 5.4, 9.6 Hz, 1H), 4.58 (dd, *J* = 9.6, 18.0 Hz, 1H), 3.89 (dd, *J* = 4.8, 18.0 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.3, 167.9, 142.1, 136.4, 134.2, 133.7, 131.9, 128.8, 128.3, 126.9, 126.6, 125.6, 123.5, 45.6, 41.6;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₁H₁₅NO₃SNa 384.0665; Found 384.0662.

(*R*)-2-(1-(benzo[*b*]thiophen-2-yl)-3-oxo-3-phenylpropyl)isoindoline-1,3-dione (3ak)⁶



Colorless solid (37.2 mg, 91% yield); mp 127-128 °C;

HPLC (Daicel Chiralpak IC, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ =

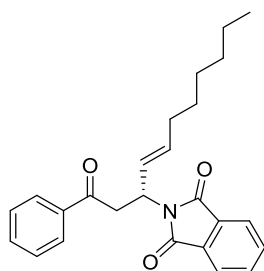
254 nm) t_R (minor) = 17.9 min, t_R (major) = 19.1 min, 2.2:97.8 *e.r.*, 95% *ee*; $[\alpha]_D^{26} = -17.8$ (*c* 2.0, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 8.01-7.98 (m, 2H), 7.83-7.81 (m, 2H), 7.76-7.67 (m, 4H), 7.59-7.55 (m, 1H), 7.48-7.43 (m, 3H), 7.33-7.26 (m, 2H), 6.43 (dd, *J* = 5.2, 8.8 Hz, 1H), 4.60 (dd, *J* = 9.2, 18.0 Hz, 1H), 4.00 (dd, *J* = 5.2, 18.0 Hz, 1H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 196.2, 168.0, 142.7, 139.7, 139.3, 136.4, 134.3, 133.7, 131.9, 128.9, 128.3, 124.8, 124.6, 123.9, 123.6, 123.1, 122.4, 46.3, 41.2;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₁₇NO₃SNa 434.0821; Found 434.0818.

(*R,E*)-2-(1-oxo-1-phenylundec-4-en-3-yl)isoindoline-1,3-dione (3al)



Colorless oil (24.0 mg, 62% yield);

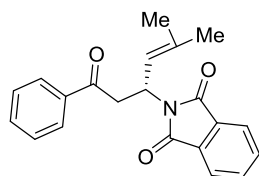
HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 10.4 min, t_R (major) = 11.0 min, 0.8:99.2 *e.r.*, 98% *ee*; $[\alpha]_D^{29} = -32.7$ (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.95-7.93 (m, 2H), 7.82-7.79 (m, 2H), 7.67-7.66 (m, 2H), 7.55-7.53 (m, 1H), 7.45-7.42 (m, 2H), 5.86-5.75 (m, 2H), 5.46-5.42 (m, 1H), 4.02 (dd, *J* = 8.4, 17.4 Hz, 1H), 3.52 (dd, *J* = 6.0, 17.4 Hz, 1H), 2.00 (q, *J* = 7.2 Hz, 2H), 1.34-1.23 (m, 8H), 0.85-0.83 (m, 3H);

¹³C {¹H} NMR (150 MHz, CDCl₃) δ 197.1, 168.1, 136.8, 135.0, 134.0, 133.4, 132.1, 128.8, 128.2, 126.4, 123.3, 49.1, 40.9, 32.2, 31.7, 28.92, 28.89, 22.7, 14.2;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₂₇NO₃Na 412.1883; Found 412.1884.

(*R*)-2-(5-methyl-1-oxo-1-phenylhex-4-en-3-yl)isoindoline-1,3-dione (3am)



Colorless oil (24.6 mg, 74% yield);

HPLC (Daicel Chiralpak IA, hexane/*i*-PrOH = 90:10, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 14.1 min, t_R (minor) = 15.1 min, 88.1:11.9 *e.r.*, 76% *ee*; $[\alpha]_D^{26} =$

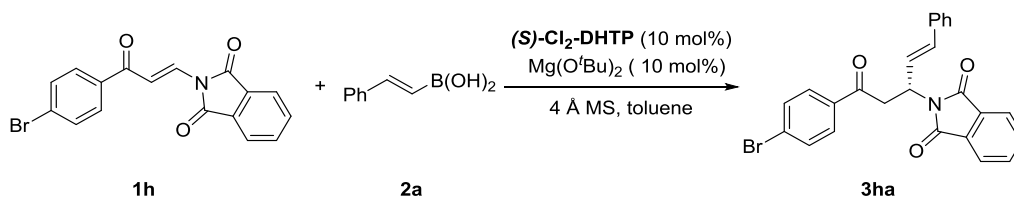
-28.4 (*c* 2.0, CHCl₃);

¹H NMR (600 MHz, CDCl₃) δ 7.95-7.93 (m, 2H), 7.81-7.78 (m, 2H), 7.68-7.65 (m, 2H), 7.55-7.52 (m, 1H), 7.43 (t, *J* = 7.8 Hz, 2H), 5.73-5.67 (m, 2H), 3.95 (dd, *J* = 7.8, 17.4 Hz, 1H), 3.50 (dd, *J* = 5.4, 17.4 Hz, 1H), 1.81 (s, 3H), 1.71 (s, 3H);

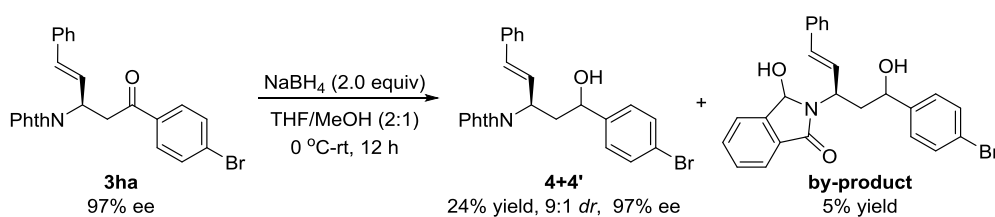
¹³C {¹H} NMR (150 MHz, CDCl₃) δ 197.4, 168.2, 137.3, 136.8, 133.9, 133.4, 132.2, 128.8, 128.2, 123.3, 121.9, 45.2, 41.4, 25.8, 18.4;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₁H₁₉NO₃Na 356.1257; Found 356.1256.

5. Large-scale reaction and synthetic transformations of products



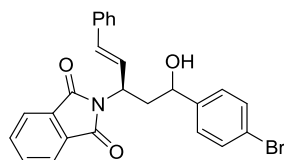
To a 100 mL Schlenk tube equipped with a stirring bar was added 4 Å MS (2.5 g), and the tube was flamed-dried under high vacuum. After cooling to r.t., the tube was then backed-filled with nitrogen. Then boronic acid **2a** (5.0 mmol, 2 equiv), **Cat 1** [(*S*)-2,15-Cl₂-DHTP] (0.25 mmol, 10 mol %), β-aminoenones **1h** (2.5 mmol, 1.0 equiv), and dry toluene (25.0 mL) were successively added to the test tube under N₂. The tube was capped, sealed and allowed to stir at 25 °C for 48 h. After the removal of solvents via rotary evaporation, the residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 8:1–5:1) to give pure adduct **3ha** (1.03 g, 90% yield, 97% *ee*).



An oven-dried 10 mL Schlenk tube equipped with a stirring bar and capped with a rubber septum was charged with **3ha** (97% *ee*, 46.0 mg, 0.1 mmol, 1 equiv). The tube was degassed and backfilled with N₂ (3 times). THF (2 mL) and MeOH (1 mL) was added into the tube via a syringe. The reaction mixture was cooled down to 0 °C, and NaBH₄ (0.2 mmol, 2.0 equiv) was added slowly. The reaction mixture was stirred at 0 °C for 1 h under N₂ atmosphere, and stirred at room temperature for 11 h. The resulting mixture was quenched by the addition of H₂O (2.0 mL). The resulting mixture was extracted with ethyl acetate (10 mL×3). The combined organic layers were then washed with brine and dried over anhydrous Na₂SO₄ and concentrated *in*

vacuo. The residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) to give product **4** and **4'** (10.9 mg, 24% yield, 9:1 *dr*, 97% *ee*), and by-product (2.3 mg, 5% yield). The compound **4** and **4'** cannot be separated by flash column chromatography on silica gel or prepared thin layer chromatography.

2-((3*R*,*E*)-5-(4-bromophenyl)-5-hydroxy-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (**4** and **4'**)



Colorless solid (10.9 mg, 9:1 *dr*; 97% *ee*); mp 63-65 °C;

Major isomer:

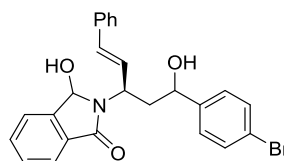
HPLC (Daicel Chiralpak IA, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 17.5 min, t_R (minor) = 27.9 min, 97% *ee*; $[\alpha]_D^{17}$ = +6.1 (*c* 0.8, CHCl₃);

¹H NMR (400 MHz, CDCl₃) δ 7.81-7.77 (m, 2H), 7.70-7.67 (m, 2H), 7.39-7.18 (m, 9H), 6.69-6.62 (m, 2H), 5.21 (q, *J* = 4.8 Hz, 1H), 4.79 (dd, *J* = 2.4, 5.6 Hz, 1H), 2.56-2.53 (m, 1H), 2.46-2.41 (m, 1H);

¹³C {¹H} NMR (100 MHz, CDCl₃) δ 168.3, 143.1, 136.3, 134.1, 133.9, 132.1, 131.7, 128.7, 128.2, 127.5, 126.8, 126.2, 123.3, 121.5, 71.4, 51.0, 41.1;

HRMS (ESI) *m/z*: [M + Na]⁺ Calcd for C₂₅H₂₀NO₃BrNa 484.0519; Found 484.0495.

2-((3*R*,*E*)-5-(4-bromophenyl)-5-hydroxy-1-phenylpent-1-en-3-yl)-3-hydroxyisoindolin-1-one (**by-product**)

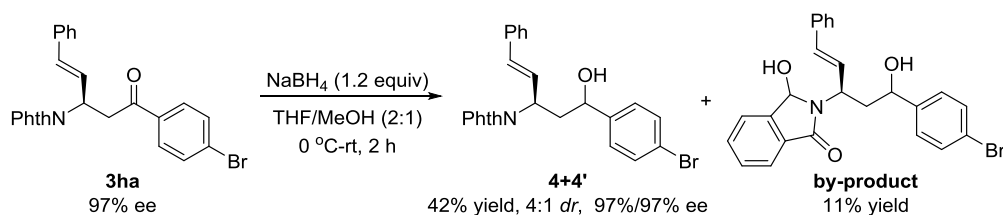


Colorless oil (2.3 mg, 5% yield);

¹H NMR (400 MHz, CDCl₃) δ 7.81-7.80 (m, 1H), 7.62-7.53 (m, 3H), 7.44-7.25 (m, 11H), 6.70 (d, *J* = 10.8 Hz, 1H), 6.51 (dd, *J* = 5.2, 10.8 Hz, 1H), 6.09 (d, *J* = 6.4 Hz, 1H), 5.25-5.22 (m, 1H), 4.74 (d, *J* = 6.8 Hz, 1H), 4.44 (s, 1H), 2.74 (d, *J* = 7.2 Hz, 1H), 2.47-2.42 (m, 1H), 2.13-2.04 (m, 1H);

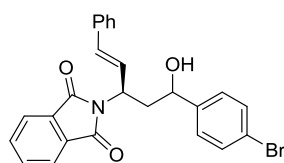
¹³C {¹H} NMR (100 MHz, CDCl₃) δ 168.8, 144.0, 143.1, 136.2, 134.3, 132.9, 131.6, 131.2, 130.4, 128.9, 128.4, 127.6, 126.8, 126.6, 123.9, 123.2, 121.1, 82.0, 69.6, 51.4, 44.4;

HRMS (ESI) m/z : $[M + Na]^+$ Calcd for $C_{25}H_{22}NO_3BrNa$ 486.0675; Found 486.0674.

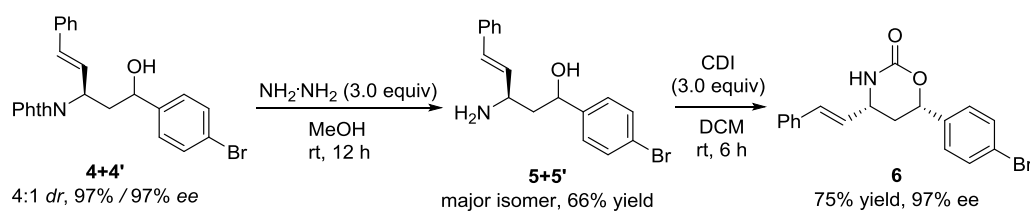


An oven-dried 10 mL Schlenk tube equipped with a stirring bar and capped with a rubber septum was charged with **3ha** (97% ee, 23.0 mg, 0.05 mmol, 1 equiv). The tube was degassed and backfilled with N_2 (3 times). THF (2 mL) and MeOH (1 mL) was added into the tube via a syringe. The reaction mixture was cooled down to 0 °C, and $NaBH_4$ (0.06 mmol, 1.2 equiv) was added slowly. The reaction mixture was stirred at 0 °C for 1 h under N_2 atmosphere, and stirred at room temperature for 1 h. The resulting mixture was quenched by the addition of H_2O (2.0 mL). The resulting mixture was extracted with ethyl acetate (10 mL \times 3). The combined organic layers were then washed with brine and dried over anhydrous Na_2SO_4 and concentrated *in vacuo*. The residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 5:1) to give product **4** and **4'** (9.6 mg, 42% yield, 4:1 dr, 97%/97% ee), and by-product (2.6 mg, 11% yield). The compound **4** and **4'** cannot be separated by flash column chromatography on silica gel or prepared thin layer chromatography.

2-((3*R*,*E*)-5-(4-bromophenyl)-5-hydroxy-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (**4** and **4'** 4:1 dr)



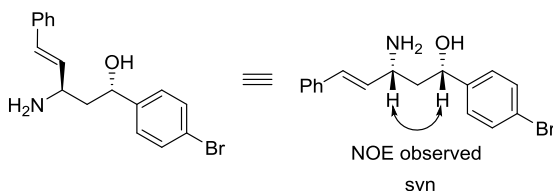
HPLC (Daicel Chiralpak IA, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (1) = 17.4 min, t_R (2) = 23.5 min, t_R (3) = 28.2 min, t_R (4) = 30.9 min, 4:1 dr, 97% / 97% ee.



To a stirring of compound **4** and **4'** (4:1 dr, 97% / 97% ee, 9.3 mg, 0.02 mmol, 1 equiv) in MeOH (1 mL), NH_2NH_2 (0.06 mmol, 3.0 equiv) was added. The reaction mixture was stirred at room temperature for 12 h. After the removal of solvents via

rotary evaporation, the residue was purified through flash column chromatography on silica gel (eluent: DCM/MeOH = 20:1) and prepared thin layer chromatography (eluent: DCM/MeOH = 10:1) to give the major isomer of product **5** (4.4 mg, 66% yield). The compound **5** and **5'** can be separated by prepared thin layer chromatography.

(*1S,3R,E*)-3-amino-1-(4-bromophenyl)-5-phenylpent-4-en-1-ol (major)



Colorless oil (4.4 mg, 66% yield);

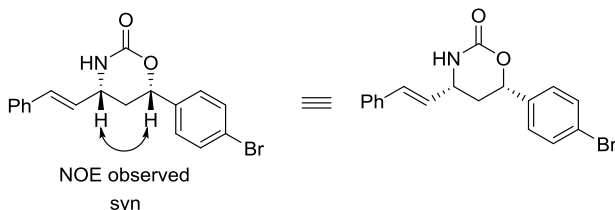
^1H NMR (400 MHz, CDCl_3) δ 7.46-7.44 (m, 2H), 7.35-7.22 (m, 7H), 6.46 (d, J = 16.0 Hz, 1H), 6.17 (dd, J = 6.8, 16.0 Hz, 1H), 4.97 (dd, J = 2.0, 10.4 Hz, 1H), 3.83-3.79 (m, 1H), 1.93-1.88 (m, 1H), 1.71-1.62 (m, 1H);

^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 144.1, 136.6, 131.5, 129.0, 128.8, 127.9, 127.6, 126.5, 121.0, 74.7, 55.0, 44.9;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_{18}\text{NO}_3\text{BrNa}$ 354.0464; Found 354.0450.

To a solution of **5** (8.3 mg, 0.025 mmol, 1 equiv) in DCM (1 mL) was added CDI (0.075 mmol, 3.0 equiv) at room temperature. After stirring for 6 h, the reaction mixture was quenched by the addition of H_2O (1.0 mL). The resulting mixture was extracted with ethyl acetate (5 mL \times 3). The combined organic layers were then washed with 1N HCl and brine, dried over anhydrous Na_2SO_4 and concentrated *in vacuo*. The residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 2:1) to give product **6** (6.7 mg, 75% yield, 97% *ee*).

(*4R,6S*)-6-(4-bromophenyl)-4-((*E*)-styryl)-1,3-oxazinan-2-one (**6**)



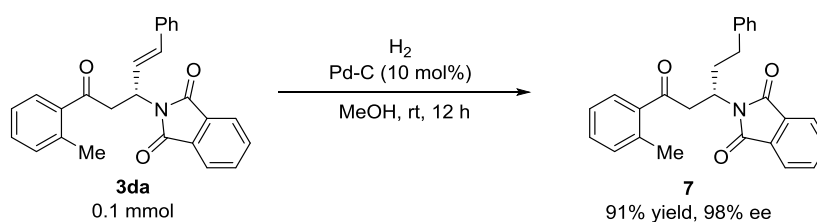
Colorless solid (6.7 mg, 75% yield); mp 188-190 $^\circ\text{C}$;

HPLC (Daicel Chiralpak IF, hexane/*i*-PrOH = 60:40, flow rate 1.0 mL/min, λ = 254 nm) t_R (minor) = 10.1 min, t_R (major) = 12.6 min, 1.7:98.3 *e.r.*, 97% *ee*; $[\alpha]_{\text{D}}^{19}$ = -16.4 (*c* 0.3, CHCl_3);

^1H NMR (400 MHz, CDCl_3) δ 7.55-7.51 (m, 2H), 7.38-7.28 (m, 7H), 6.65 (d, J = 15.6 Hz, 1H), 6.06 (dd, J = 8.0, 15.6 Hz, 1H), 5.32 (dd, J = 2.0, 11.6 Hz, 1H), 5.23 (s, 1H), 4.38-4.32 (m, 1H), 2.34-2.28 (m, 1H), 1.97-1.88 (m, 1H);

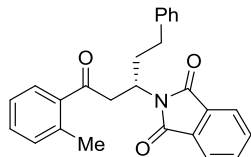
^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 153.4, 137.7, 135.6, 133.5, 132.0, 129.0, 128.7, 127.7, 127.6, 126.8, 122.8, 54.2, 36.7, 29.9;

HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{18}\text{H}_{16}\text{NO}_2\text{BrNa}$ 380.0257; Found 380.0242.



To a stirred solution of **3da** (98% *ee*, 39.5 mg, 0.1 mmol, 1 equiv) in MeOH (2 mL) was added Pd-C (0.01 mmol, 10 mol %). The reaction mixture was stirred under H_2 balloon for 24 h at room temperature. The resulting mixture was filtered through a Celite pad and solvents were concentrated via rotary evaporation. The residue was purified through flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 10:1) to give product **7** (36.3 mg, 91% yield, 98% *ee*).

(*S*)-2-(1-oxo-5-phenyl-1-(*o*-tolyl)pentan-3-yl)isoindoline-1,3-dione (**7**)



Colorless oil (36.3 mg, 91% yield);

HPLC (Daicel Chiralpak IB, hexane/*i*-PrOH = 80:20, flow rate 1.0 mL/min, λ = 254 nm) t_R (major) = 6.6 min, t_R (minor) = 7.6 min, 99.2:0.8 *e.r.*, 98% *ee*; $[\alpha]_D^{17} = +2.4$ (*c* 1.0, CHCl_3);

^1H NMR (400 MHz, CDCl_3) δ 7.79-7.60 (m, 5H), 7.33-7.03 (m, 8H), 4.96-4.91 (m, 1H), 3.87-3.80 (m, 1H), 3.40-3.34 (m, 1H), 2.74-2.49 (m, 3H), 2.40 (s, 3H), 2.13-2.06 (m, 1H);

^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 201.3, 168.6, 141.0, 138.4, 137.6, 134.0, 132.1, 131.9, 131.6, 128.8, 128.5, 128.4, 126.0, 125.9, 123.3, 47.9, 44.0, 34.1, 33.1, 21.3;

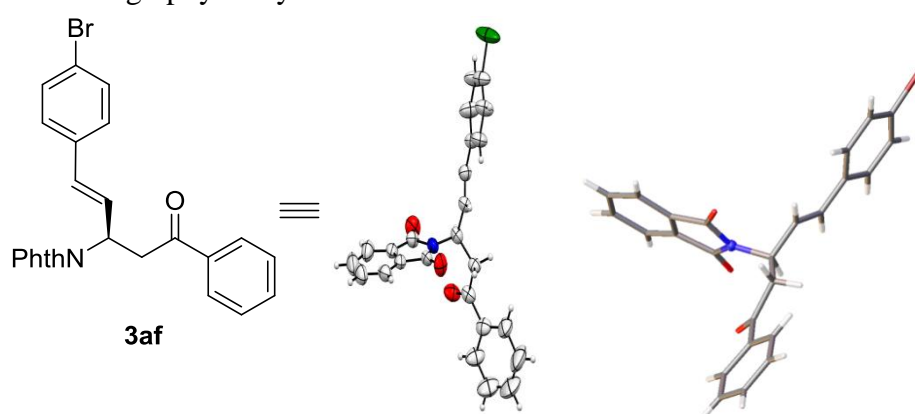
HRMS (ESI) m/z : $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{26}\text{H}_{23}\text{NO}_3\text{Na}$ 420.1570; Found 420.1563.

6. References

- [1] (a) Chai, G.-L.; Zhu, B.; Chang, J. *J. Org. Chem.* **2019**, *84*, 120–127; (b) Chai, G.-L.; Han, J.-W.; Wong, H. N. C. *Synthesis* **2017**, *49*, 181–187.
- [2] (a) Han, Y.-Q.; Ding, Y.; Zhou, T.; Yan, S.-Y.; Song, H.; Shi, B.-F. *J. Am. Chem. Soc.* **2019**, *141*, 4558–4563. (b) Tong, H.-R.; Zheng, W.; Lv, X.; He, G.; Liu, P.; Chen, G. *ACS Catal.* **2020**, *10*, 114–120.
- [3] (a) Rong, J.; Li, H.; Fu, R.; Sun, W.; Loh, T.-P.; Jiang, Y. *ACS Catal.* **2020**, *10*, 3664–3669; (b) Wang, J.-F.; Meng, X.; Zhang, C.-H.; Yu, C.-M.; Mao, B. *Org. Lett.* **2020**, *22*, 7427–7432; (c) Sundstrom, S.; Nguyen, T. S.; May, J. A. *Org. Lett.* **2020**, *22*, 1355–1359.
- [4] (a) Trubitsin, D.; Martonova, J.; Kudrjashova, M.; Erkman, K.; Jarving, I.; Kanger, T. *Org. Lett.* **2021**, *23*, 1820–1824; (b) Liang, G.; Rong, J.; Sun, W.; Chen, G.; Jiang, Y.; Loh, T.-P. *Org. Lett.* **2018**, *20*, 7326–7331.
- [5] Ma, Y.; Reddy, B. R. P.; Bi, X. *Org. Lett.* **2019**, *21*, 9860–9863.
- [6] Mao, B.; Tong, M.; Wang, J.; Bai, X.; Chen, Z.; Zhu, X.; Yu, C. Method for catalyzing asymmetric synthesis of chiral β -aminoketone derivative. CN 109748841 (China Patent).
- [7] Ding, W.; Hu, J.; Jin, H.; Yu, X.; Wang, S. *Synthesis* **2018**, *50*, 107–118.
- [8] Roscales, S.; Rincón, Á.; Buxaderas, E.; Csáky, A. G. *Tetrahedron Lett.* **2012**, *53*, 4721–4724.

7. X-ray crystallography data

The absolute configuration of product **3af** was determined by an X-ray chromatography analysis



ORTEP view with displacement ellipsoids drawn at 50% probability level. Single crystal of **3af** was obtained by recrystallization in DCM, hexane and ⁱPrOH;

CCDC (2126742) contains the supplementary crystallographic data which can be obtained free of charge from The Cambridge Crystallographic Data Centre.

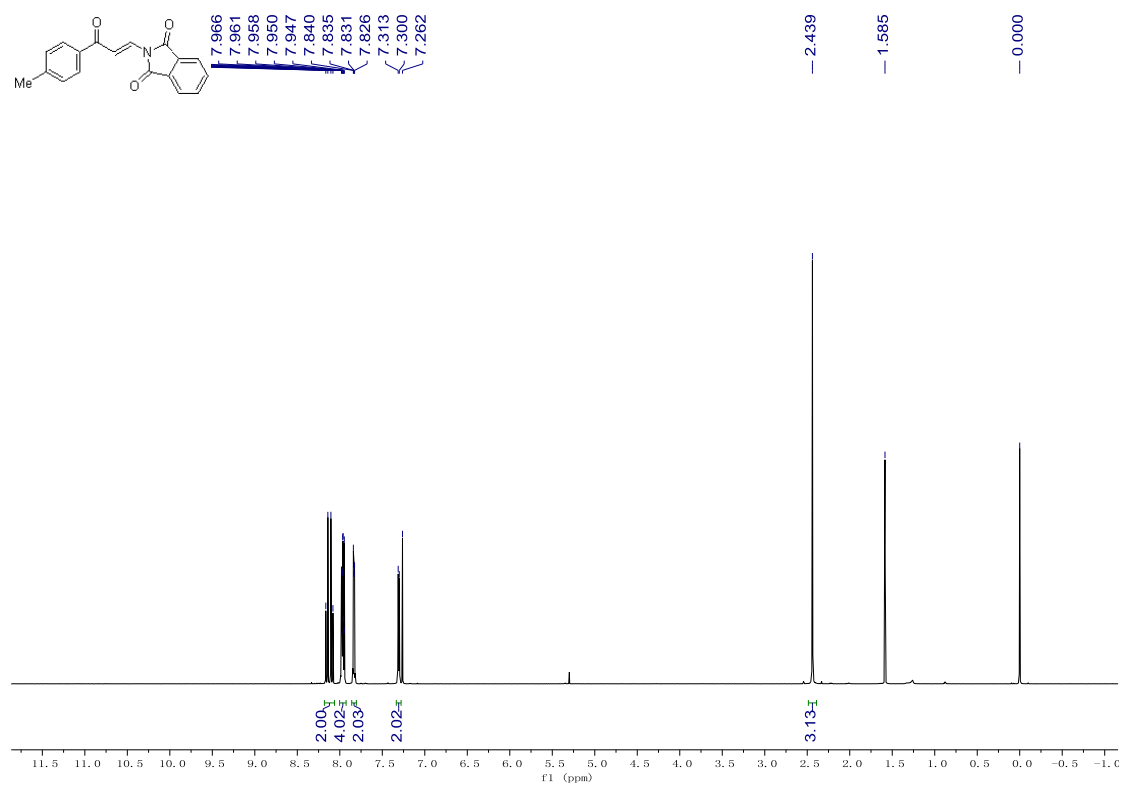
Crystal data and structure refinement for compound 3af.

Identification code	yez-20211130
Empirical formula	C ₂₅ H ₁₈ BrNO ₃
Formula weight	460.31
Temperature/K	293(2)
Crystal system	monoclinic
Space group	P2 ₁
a/Å	10.61630(10)
b/Å	9.85540(10)
c/Å	10.88780(10)
α /°	90
β /°	105.5520(10)
γ /°	90
Volume/Å ³	1097.460(19)
Z	2
ρ _{calc} /cm ³	1.393
μ /mm ⁻¹	2.760
F(000)	468.0
Crystal size/mm ³	0.3 × 0.2 × 0.1
Radiation	CuKα (λ = 1.54184)
2θ range for data collection/°	8.43 to 143.074
Index ranges	-13 ≤ h ≤ 13, -11 ≤ k ≤ 12, -13 ≤ l ≤ 13
Reflections collected	33241
Independent reflections	4019 [R _{int} = 0.0430, R _{sigma} = 0.0198]
Data/restraints/parameters	4019/1/271
Goodness-of-fit on F ²	1.090
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0626, wR ₂ = 0.1689
Final R indexes [all data]	R ₁ = 0.0640, wR ₂ = 0.1708
Largest diff. peak/hole / e Å ⁻³	0.75/-1.11
Flack parameter	-0.006(11)

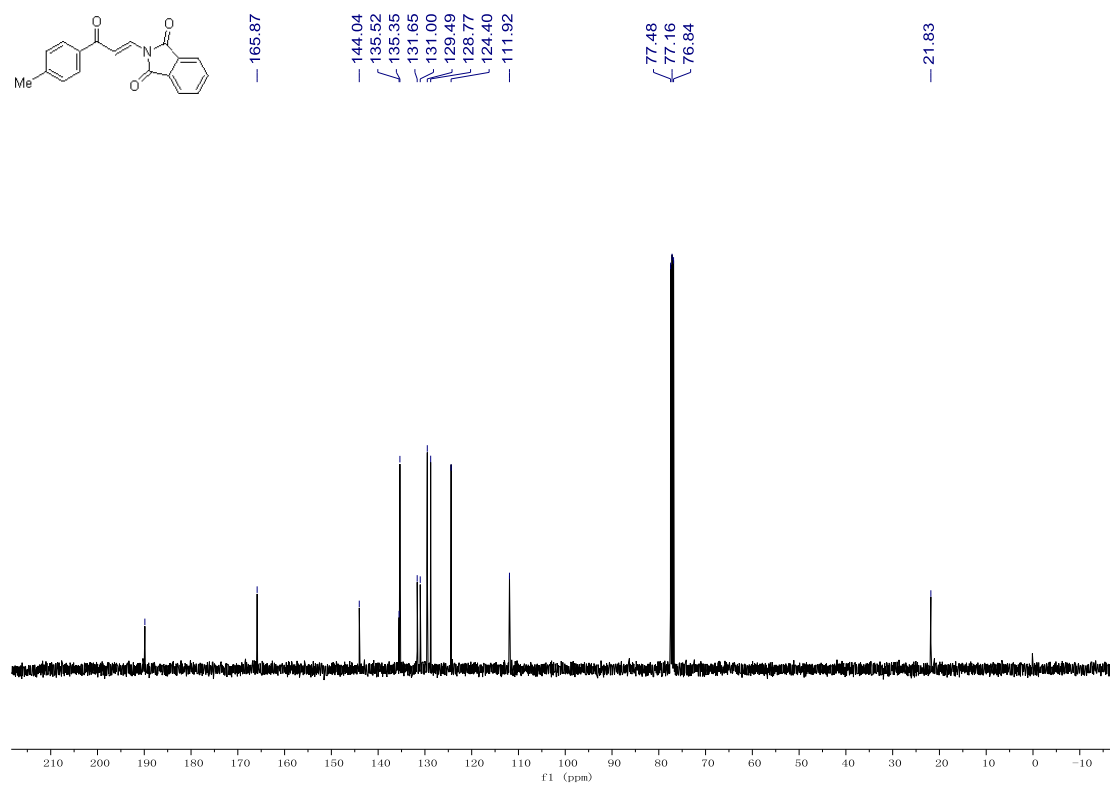
8. Copies of ^1H , ^{13}C , and ^{19}F NMR spectra

(*E*)-2-(3-oxo-3-(*p*-tolyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1b**)

^1H NMR (600 MHz, CDCl_3)

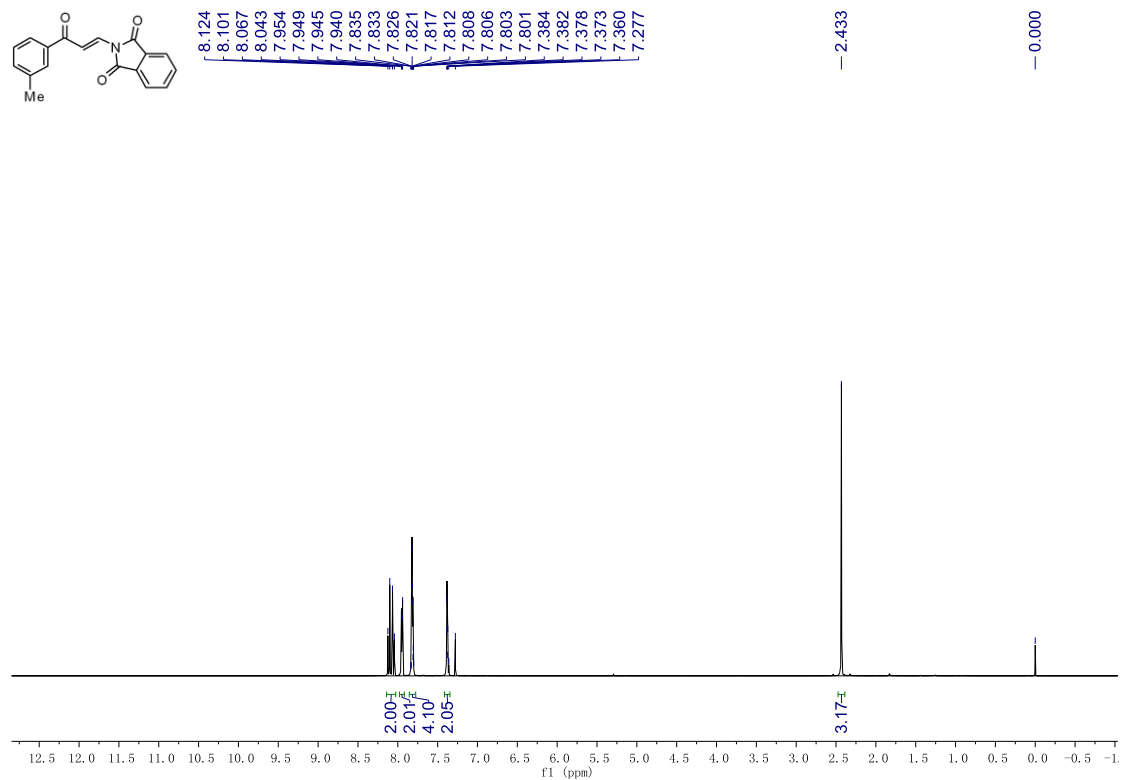


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

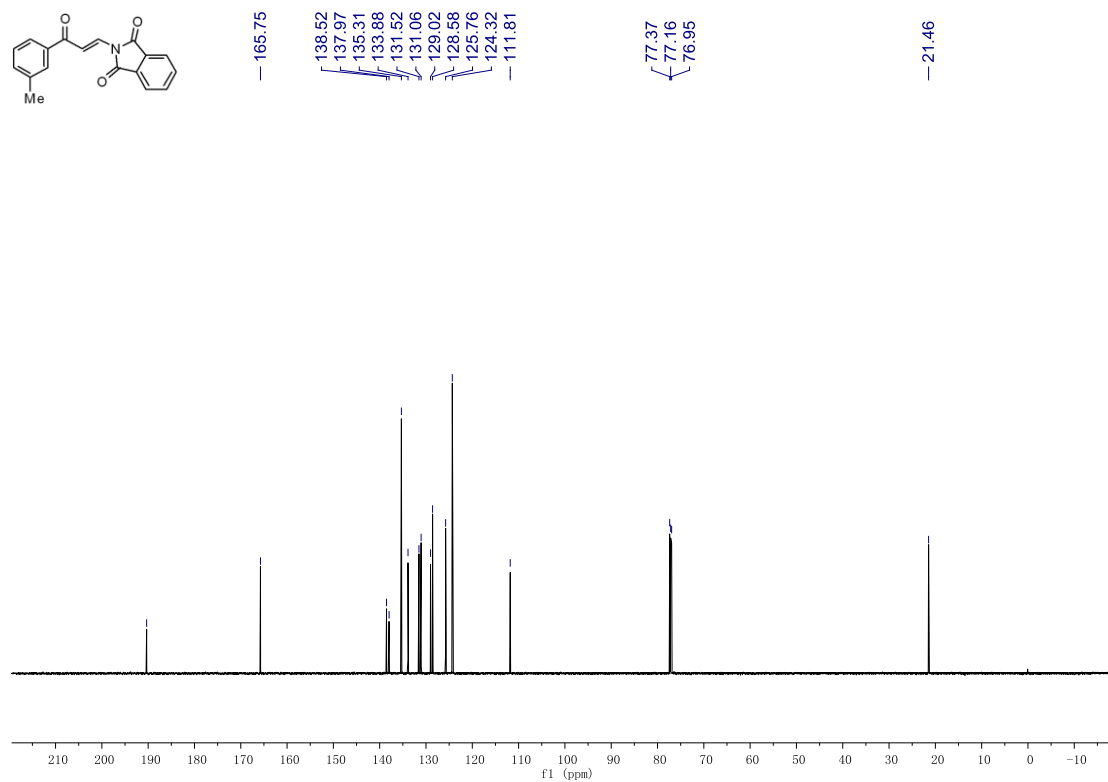


(*E*)-2-(3-oxo-3-(*m*-tolyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1c**)

^1H NMR (600 MHz, CDCl_3)

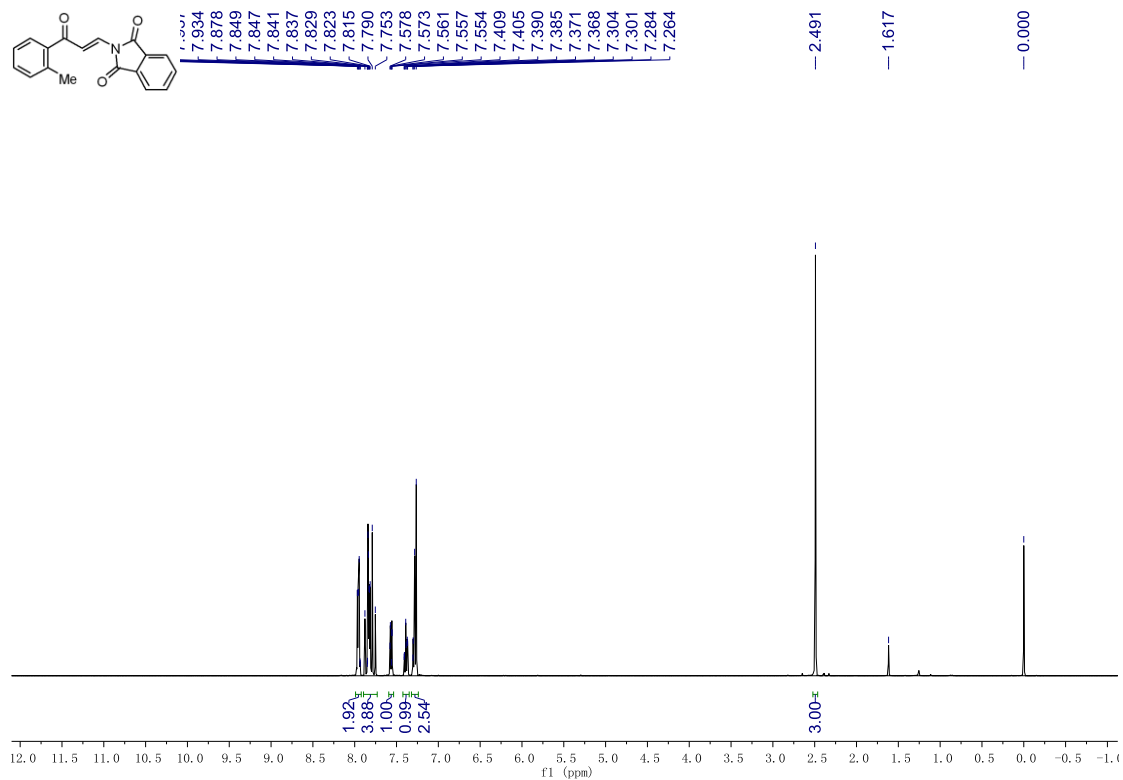


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

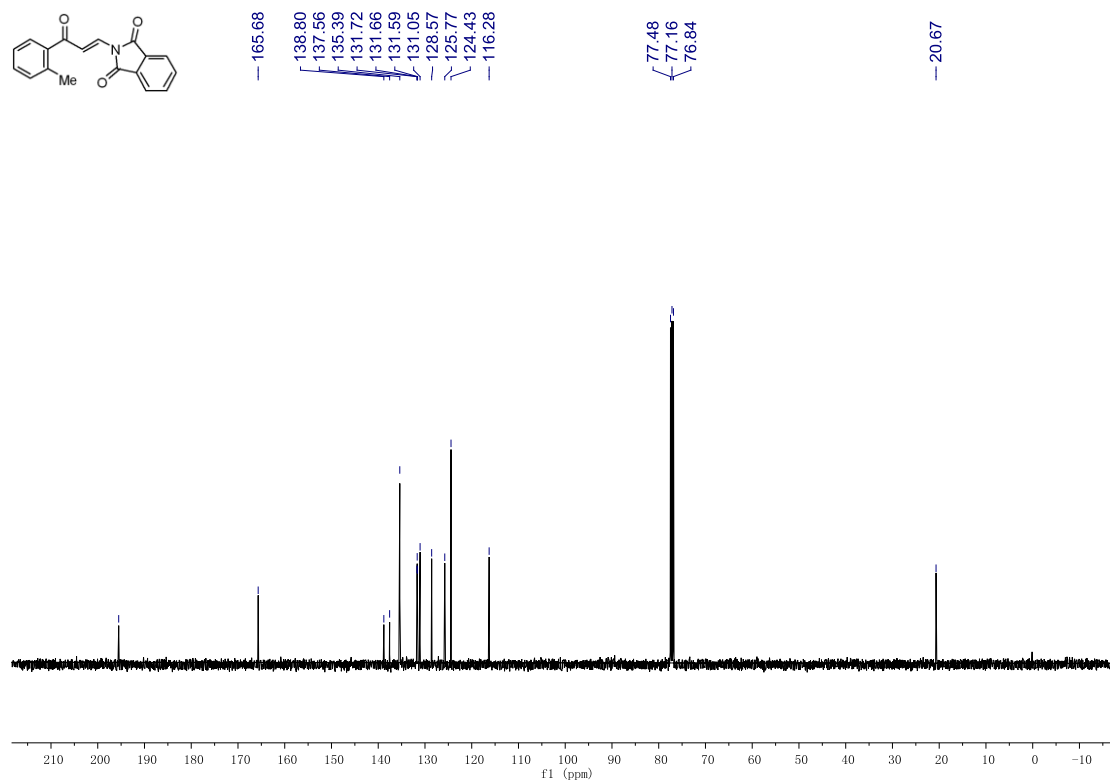


(*E*)-2-(3-oxo-3-(*o*-tolyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1d**)

^1H NMR (400 MHz, CDCl_3)

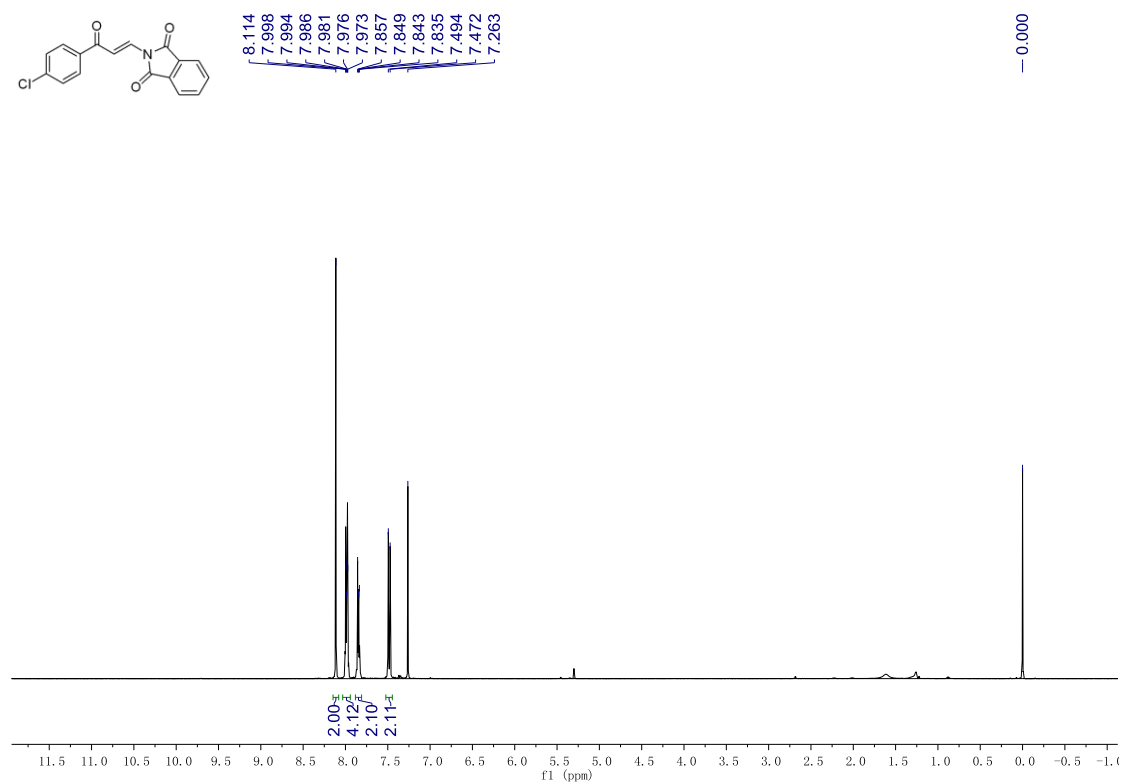


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

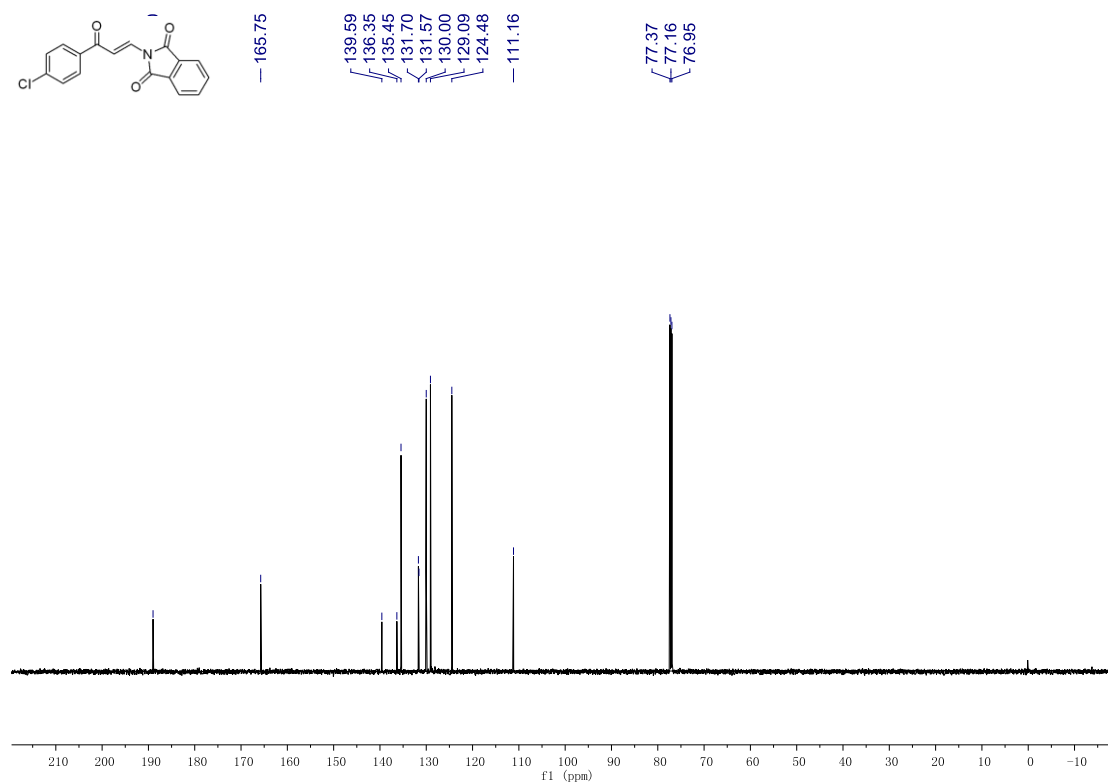


(E)-2-(3-(4-chlorophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1g**)

^1H NMR (400 MHz, CDCl_3)

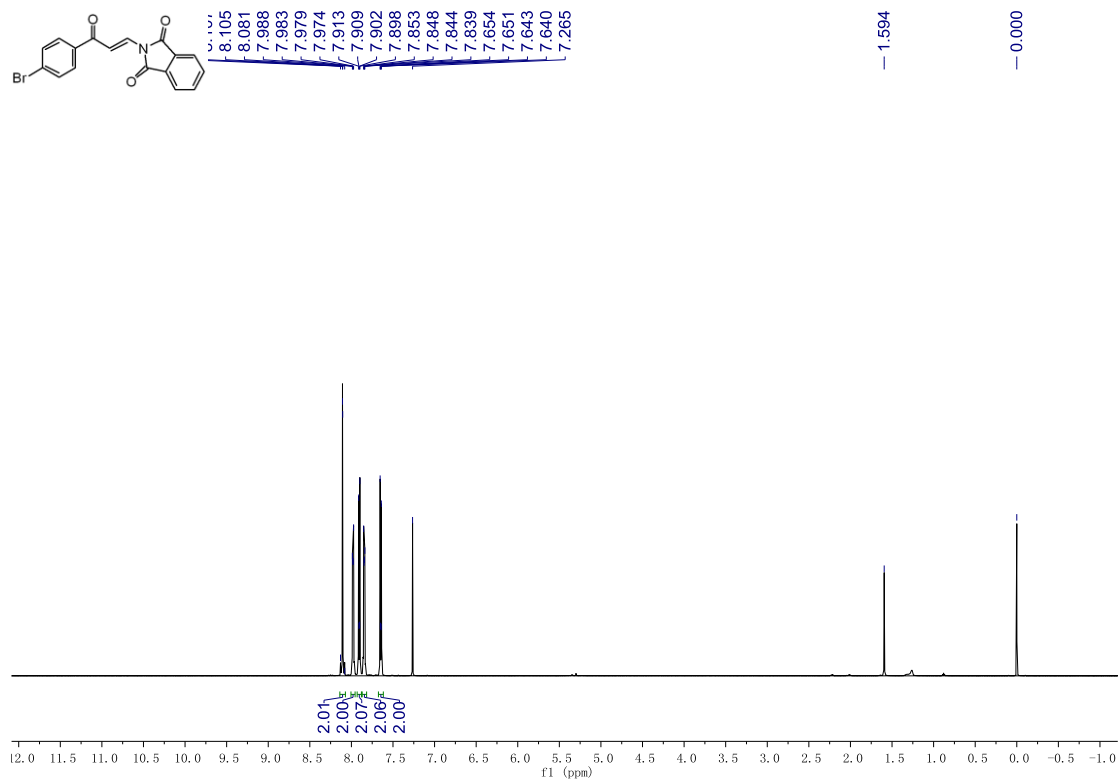


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

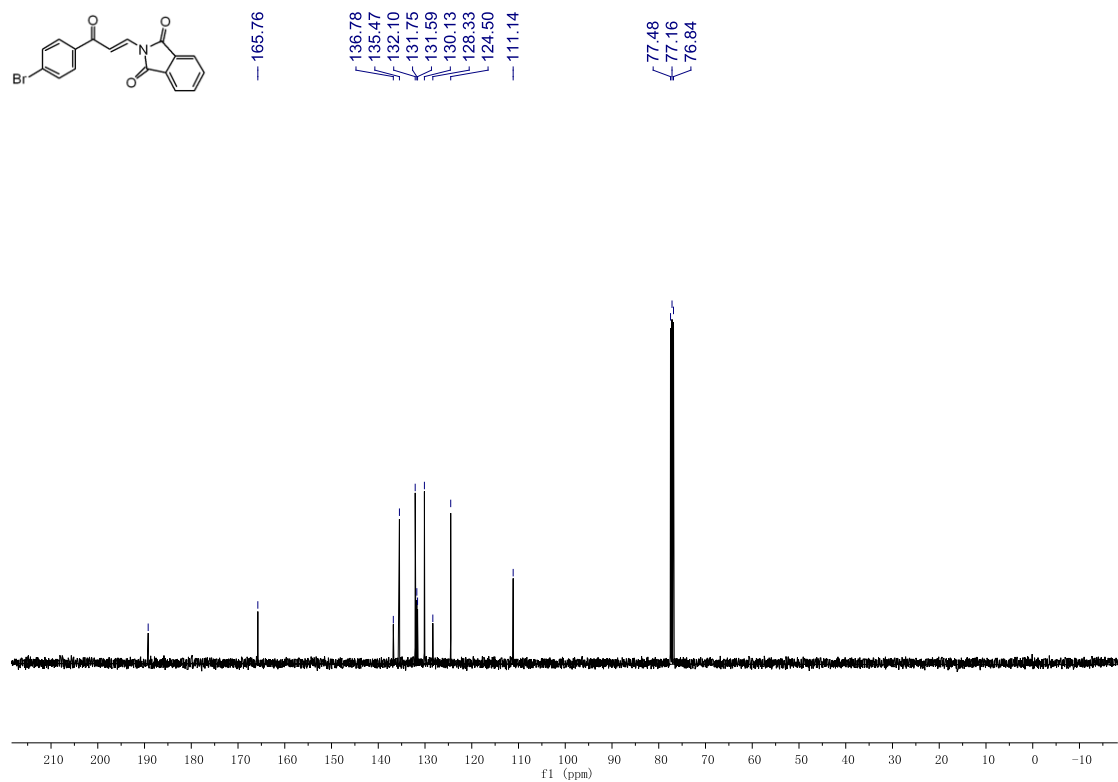


(E)-2-(3-(4-bromophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1h**)

^1H NMR (600 MHz, CDCl_3)

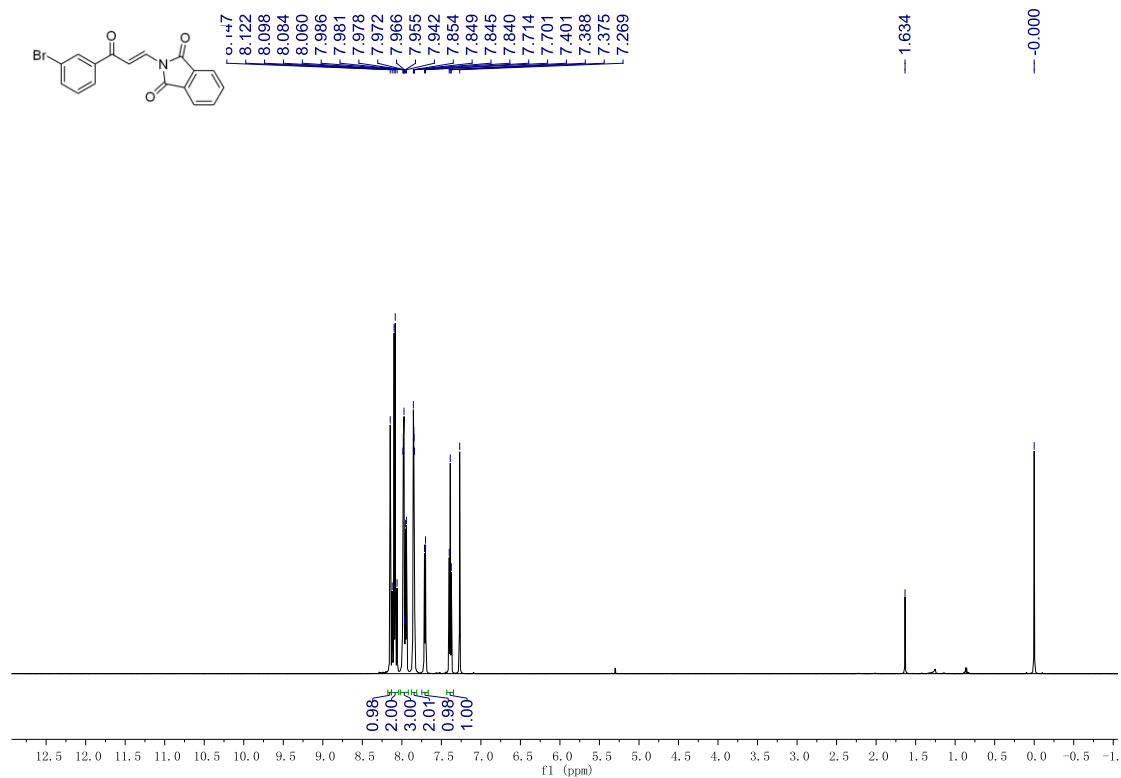


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

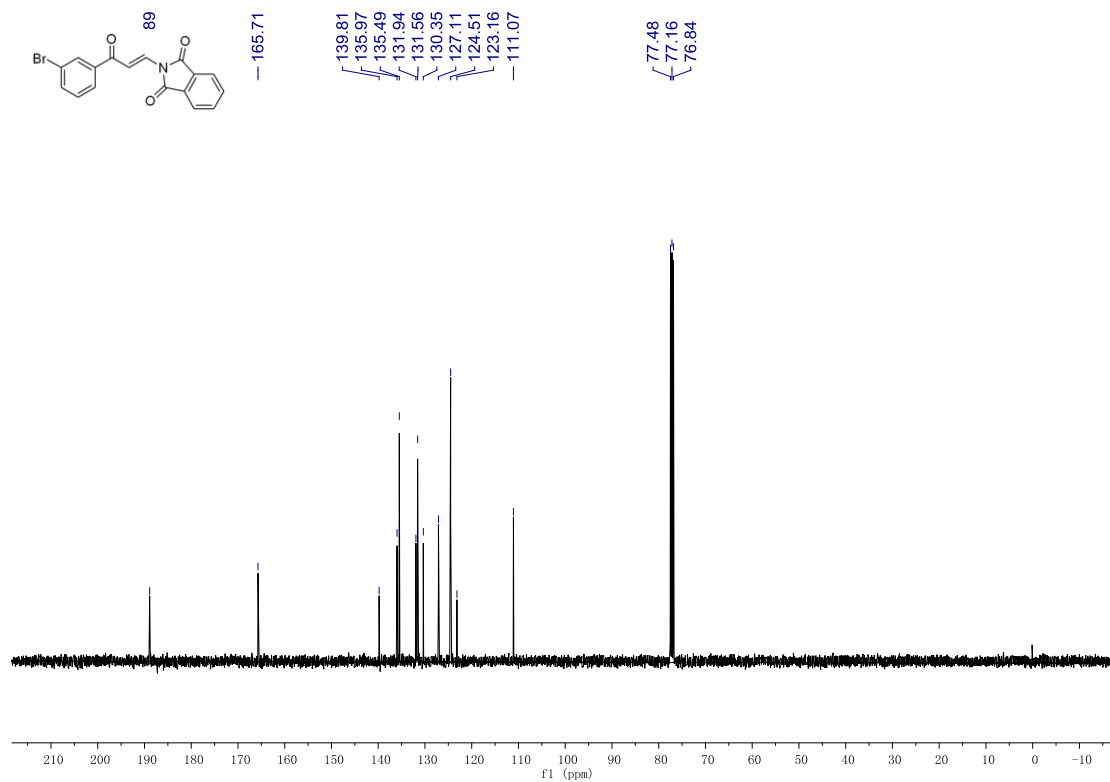


(*E*)-2-(3-(3-bromophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1i**)

^1H NMR (600 MHz, CDCl_3)

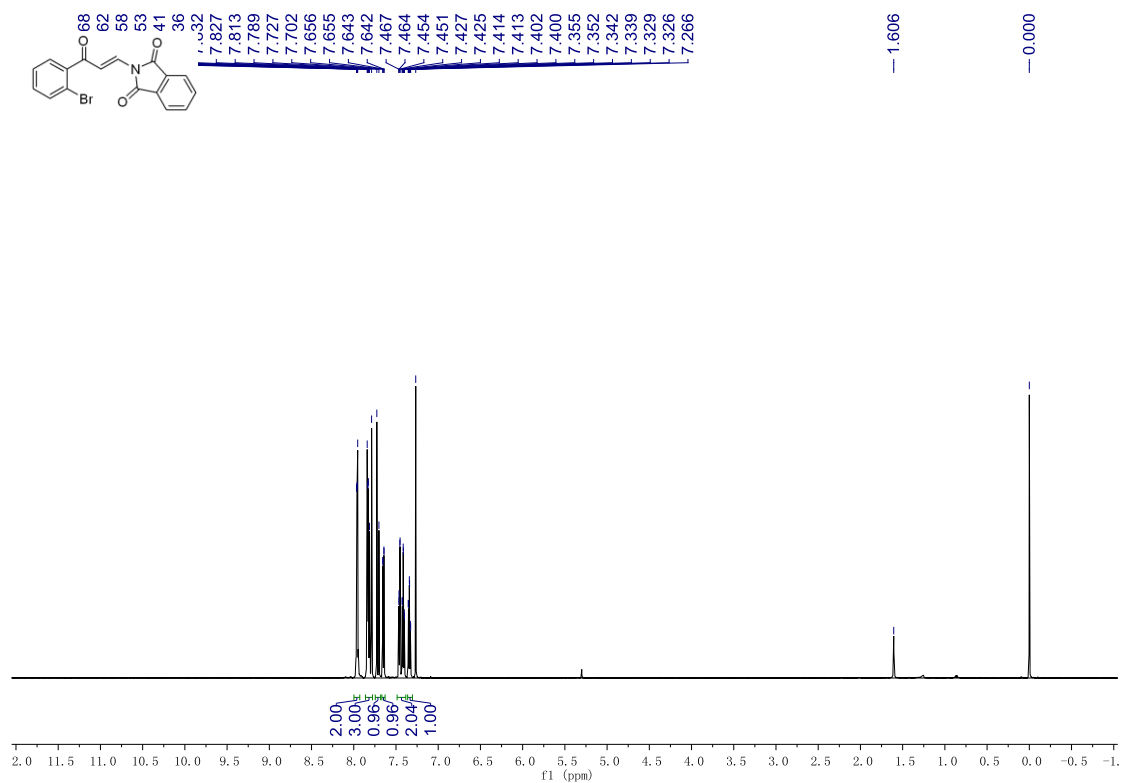


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

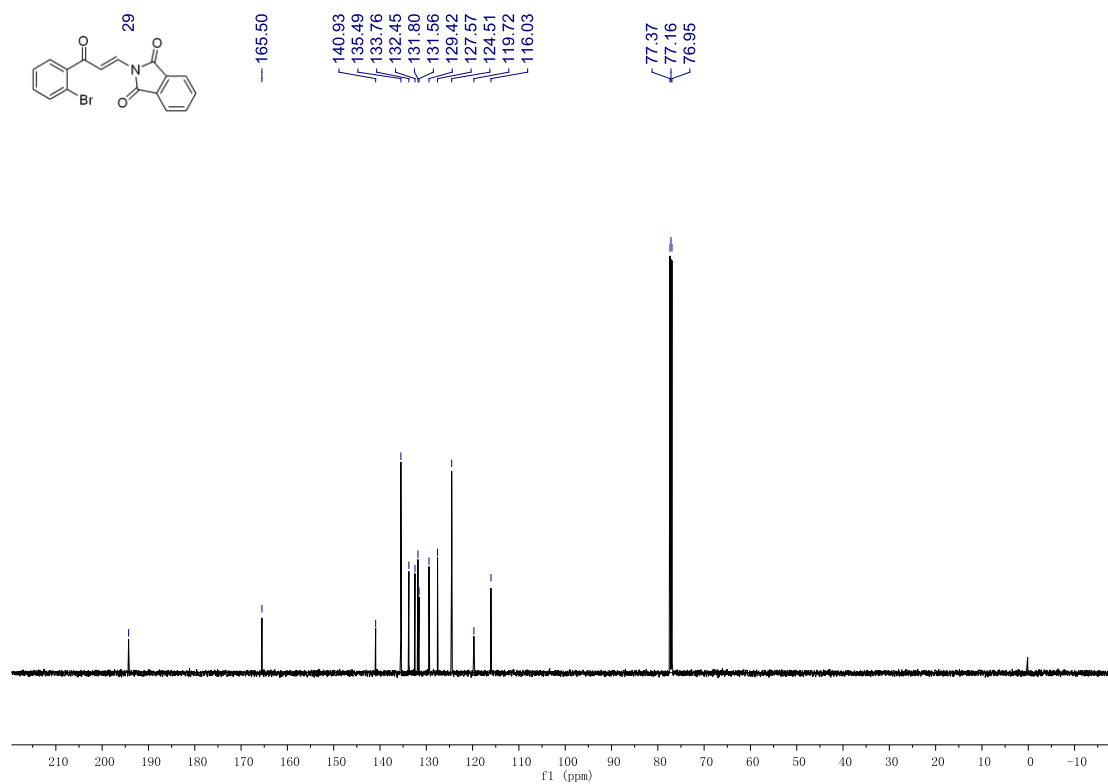


(*E*)-2-(3-(2-bromophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1j**)

^1H NMR (600 MHz, CDCl_3)

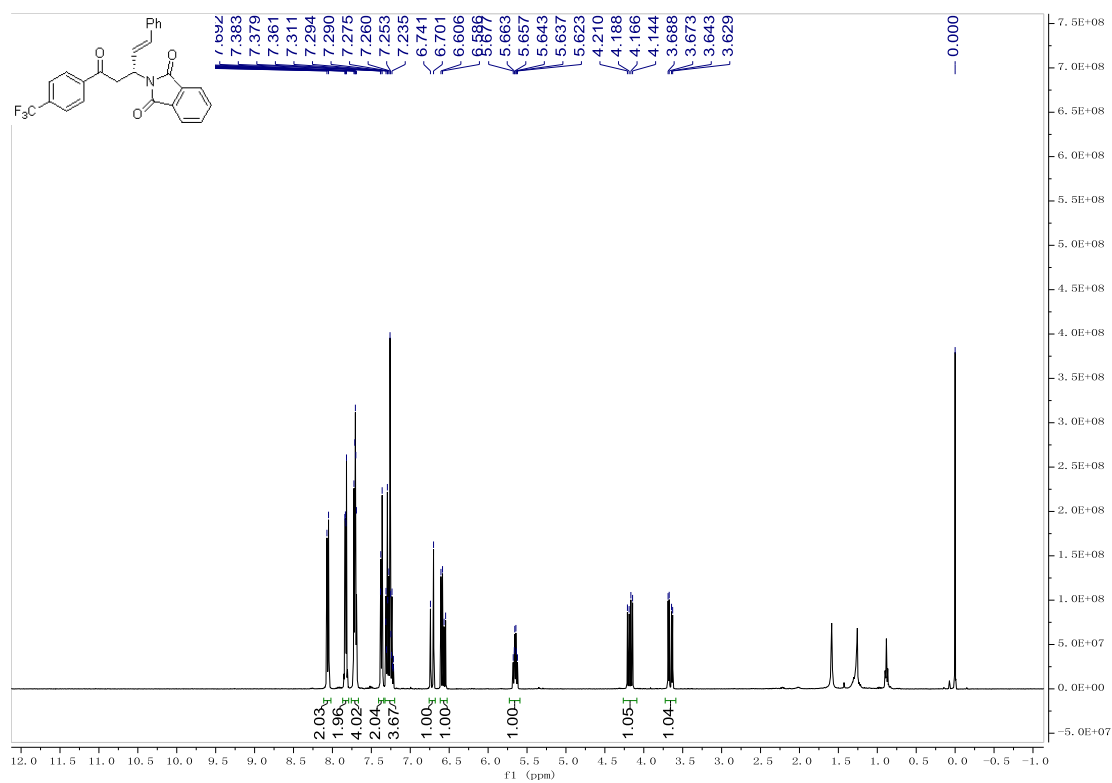


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

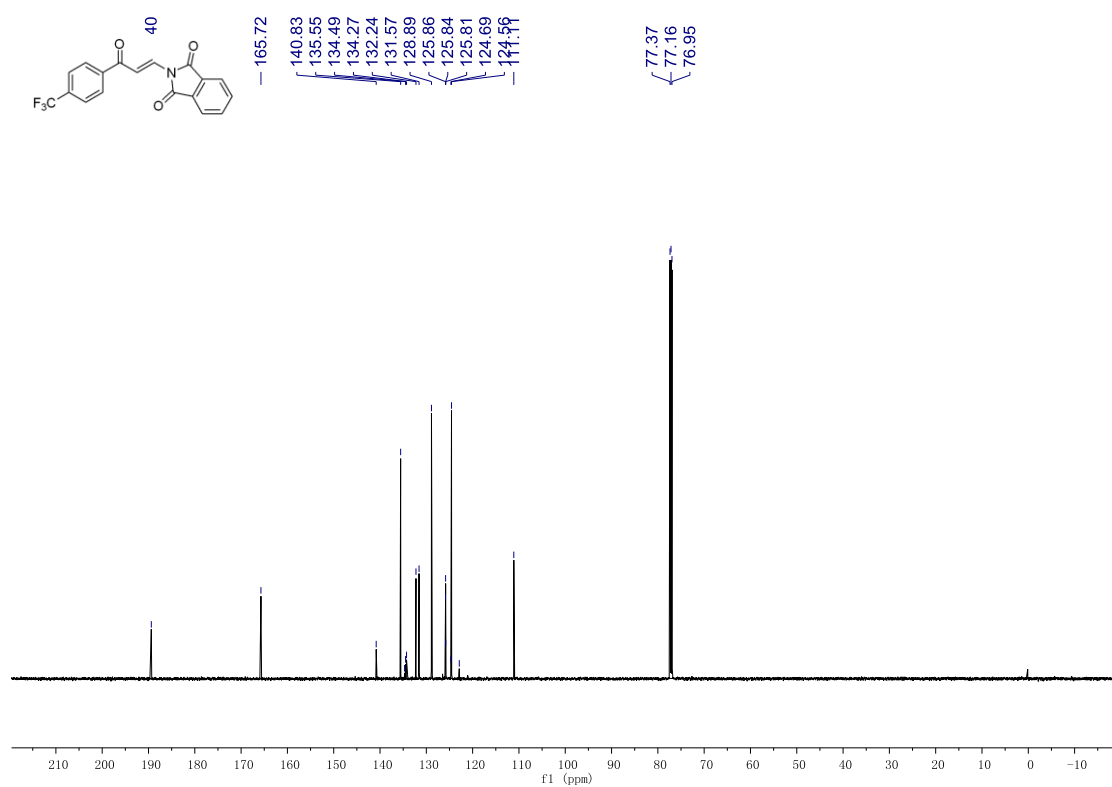


(E)-2-(3-oxo-3-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)isoindoline-1,3-dione (**1k**)

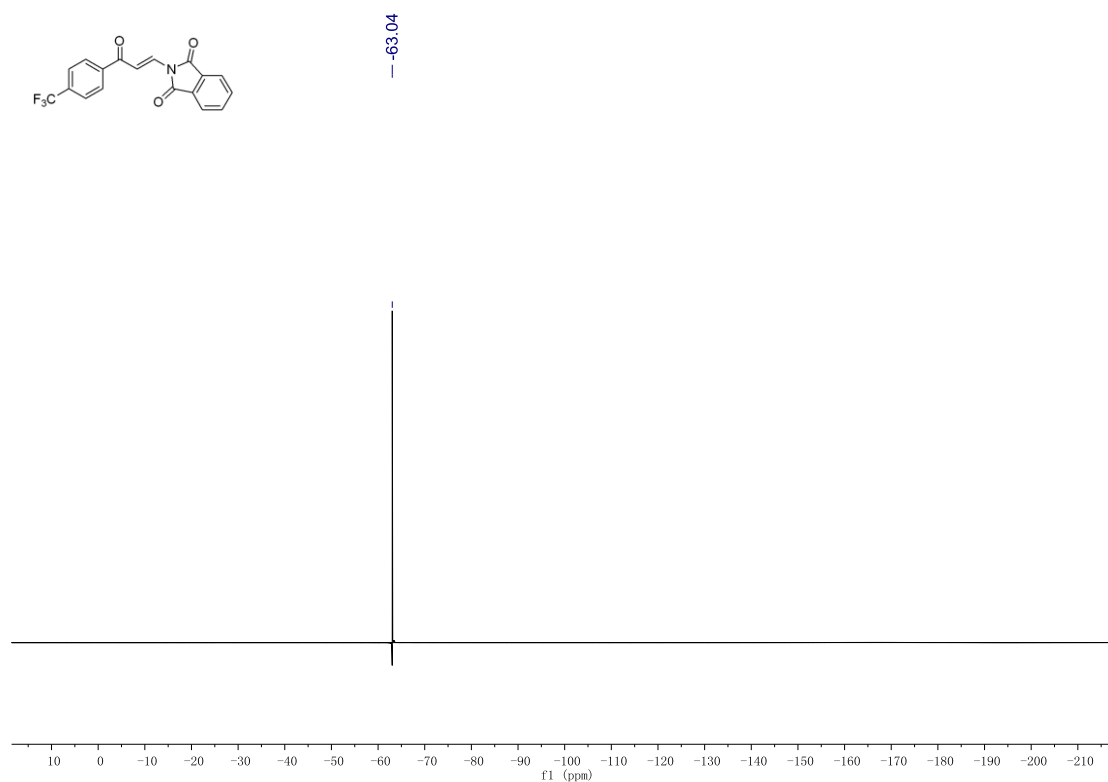
^1H NMR (400 MHz, CDCl_3)



^{13}C { ^1H } NMR (150 MHz, CDCl_3)

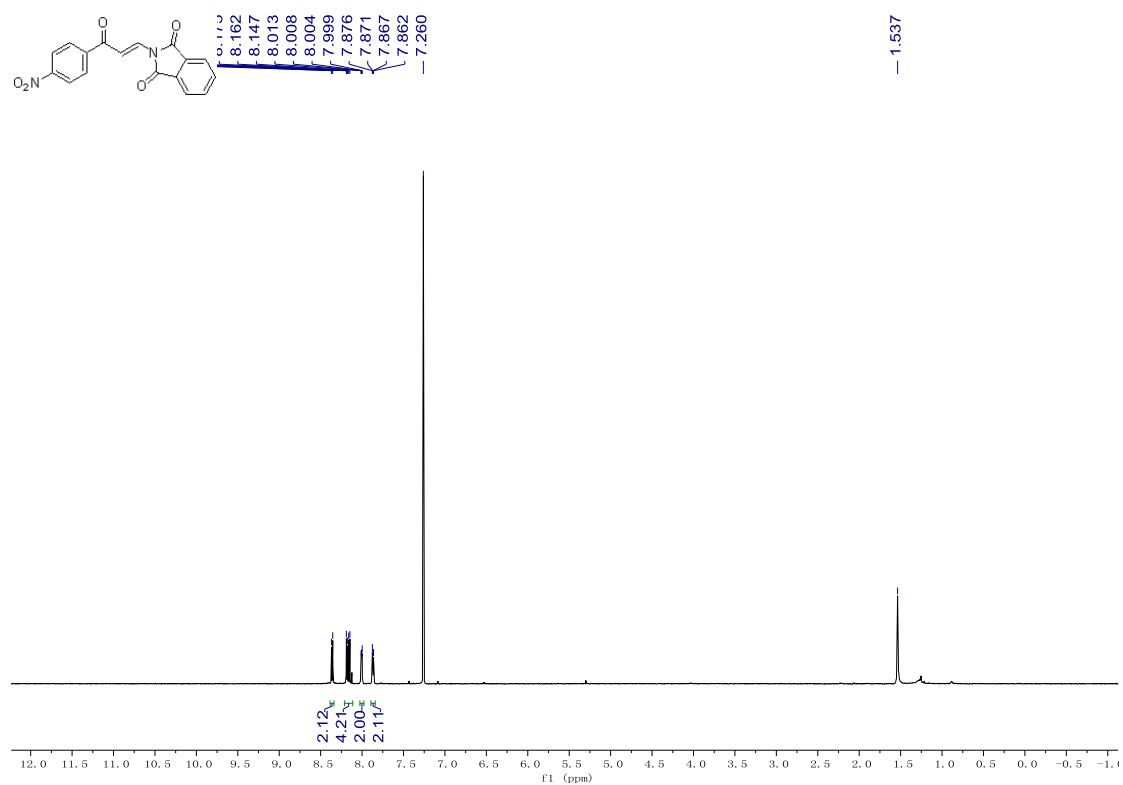


^{19}F $\{^1\text{H}\}$ NMR (564 MHz, CDCl_3)



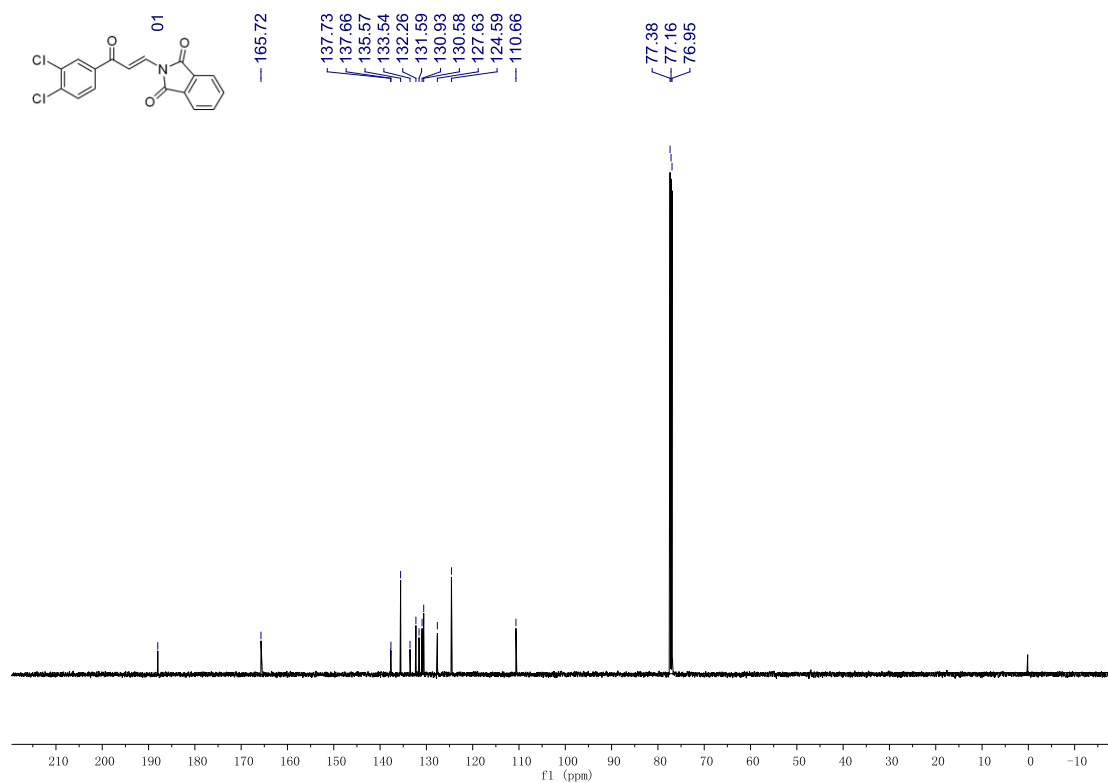
(E)-2-(3-(4-nitrophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**11**)

^1H NMR (600 MHz, CDCl_3)

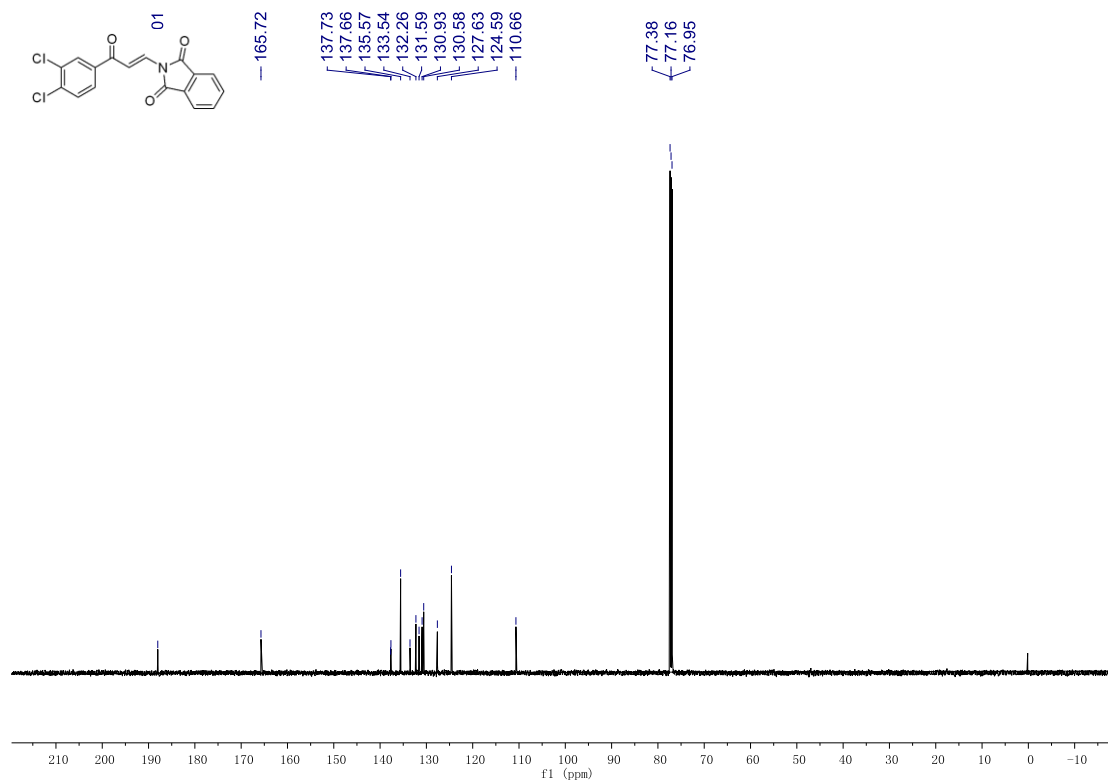


(E)-2-(3-(3,4-dichlorophenyl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1m**)

^1H NMR (600 MHz, CDCl_3)

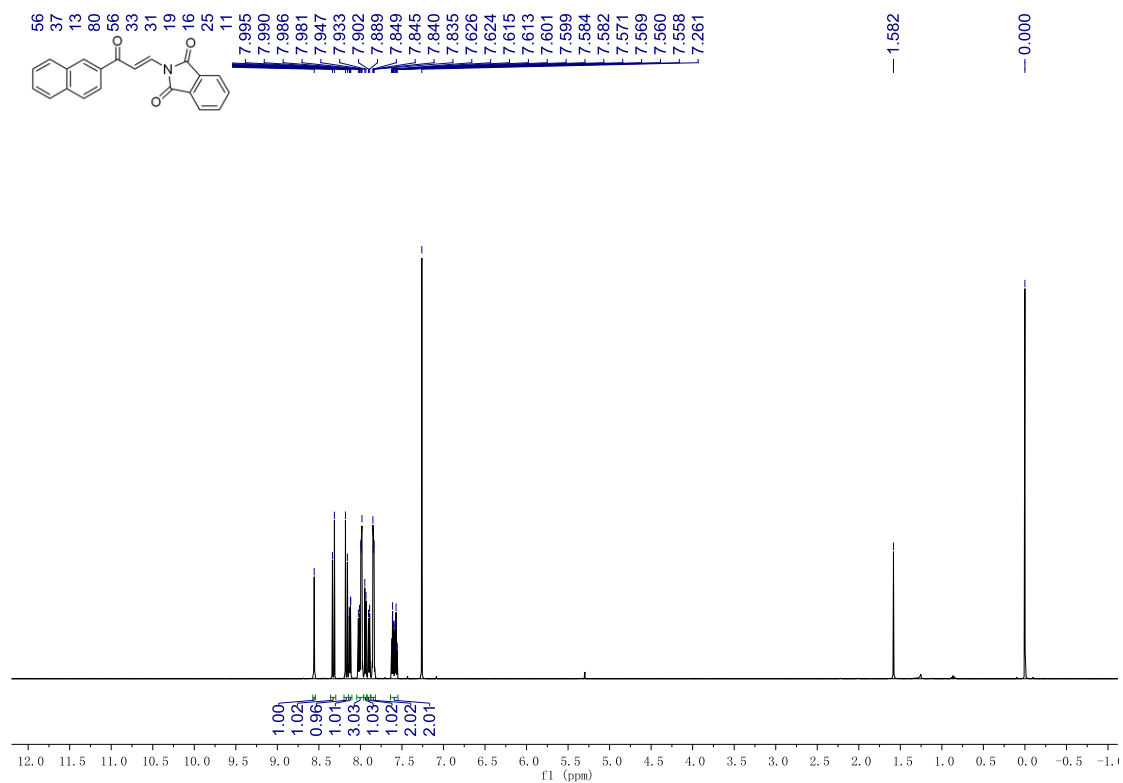


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

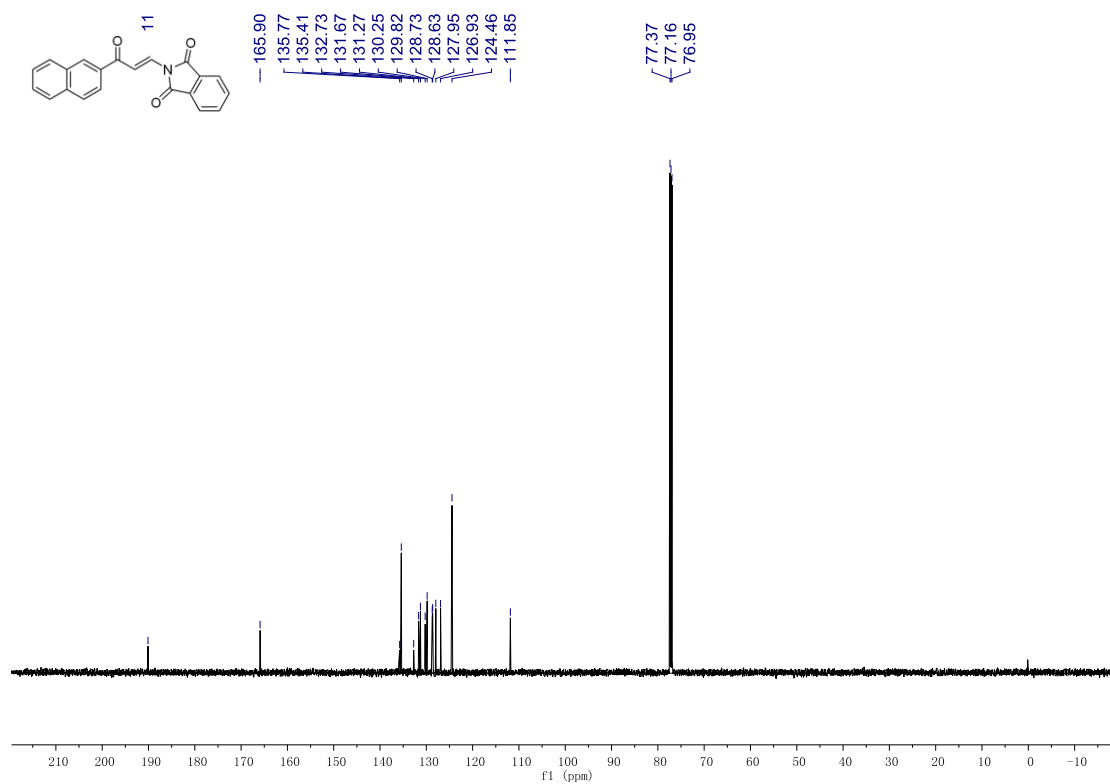


(E)-2-(3-(naphthalen-2-yl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1n**)

^1H NMR (600 MHz, CDCl_3)

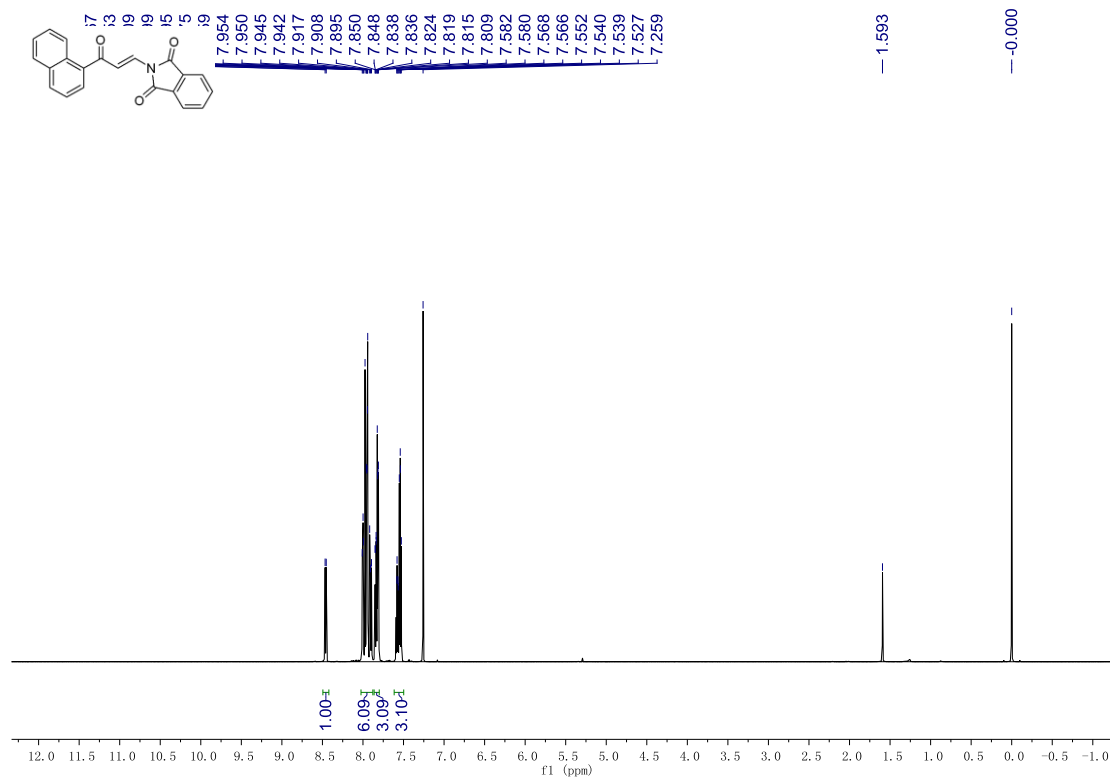


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

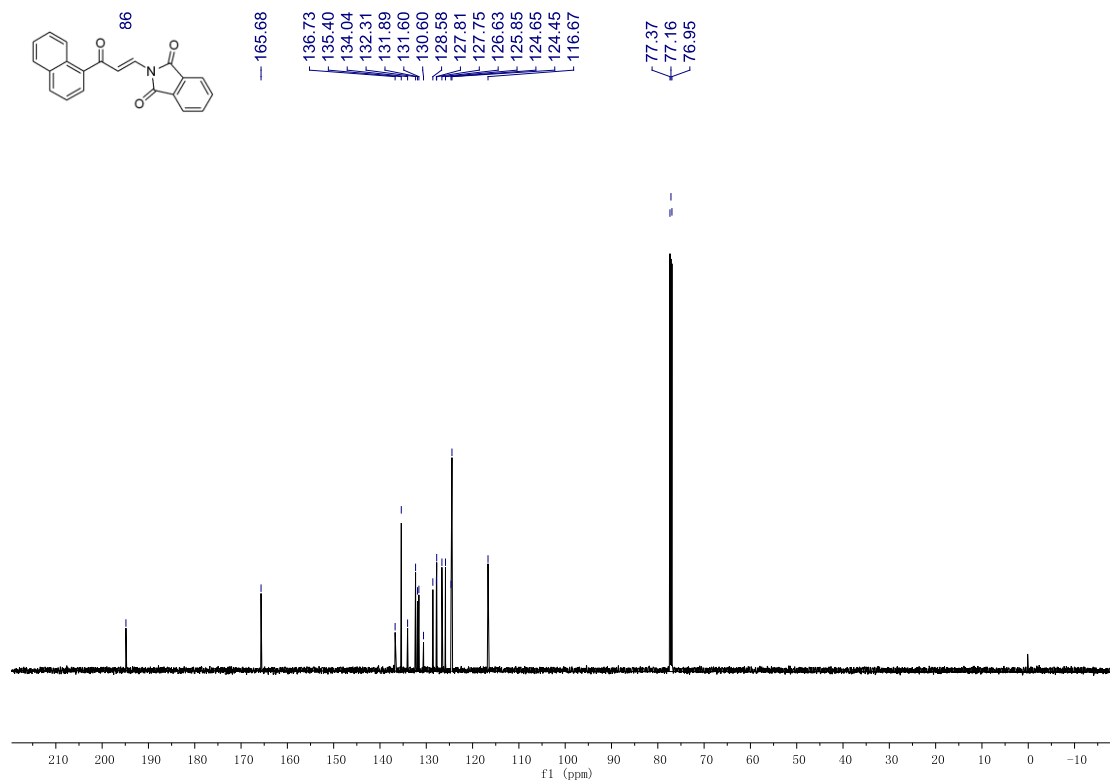


(*E*)-2-(3-(naphthalen-1-yl)-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1o**)

^1H NMR (600 MHz, CDCl_3)

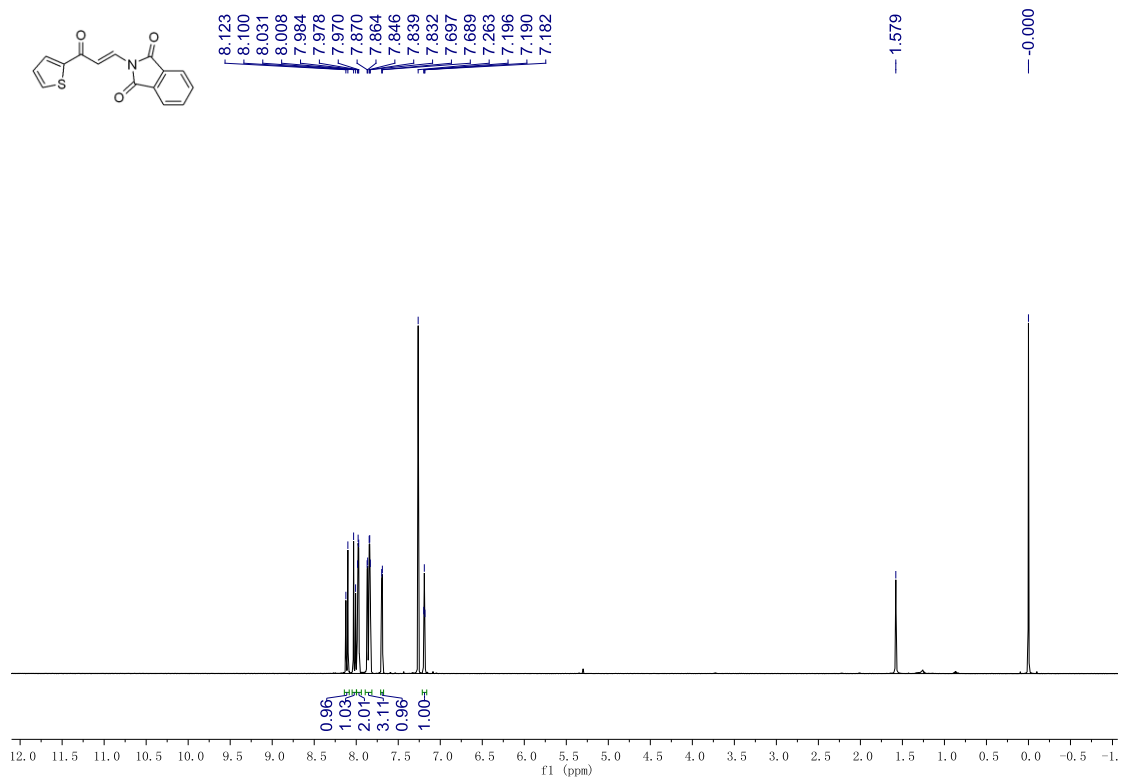


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

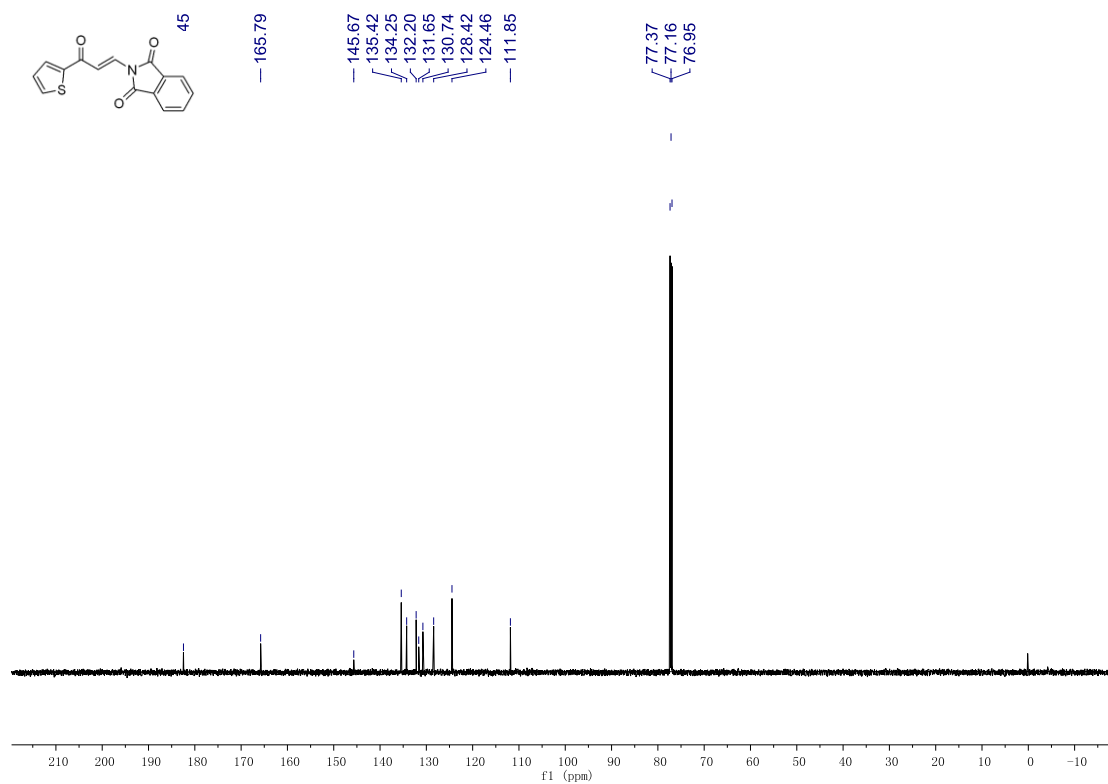


(*E*)-2-(3-oxo-3-(thiophen-2-yl)prop-1-en-1-yl)isoindoline-1,3-dione (**1q**)

^1H NMR (400 MHz, CDCl_3)

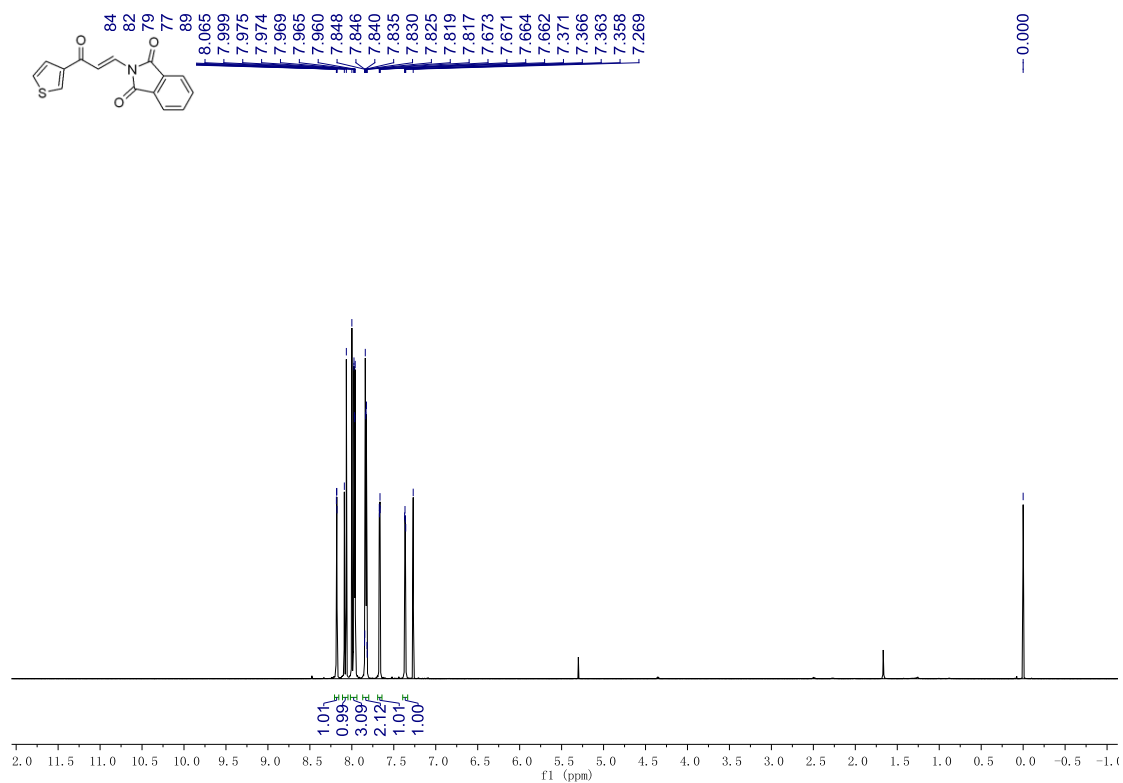


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

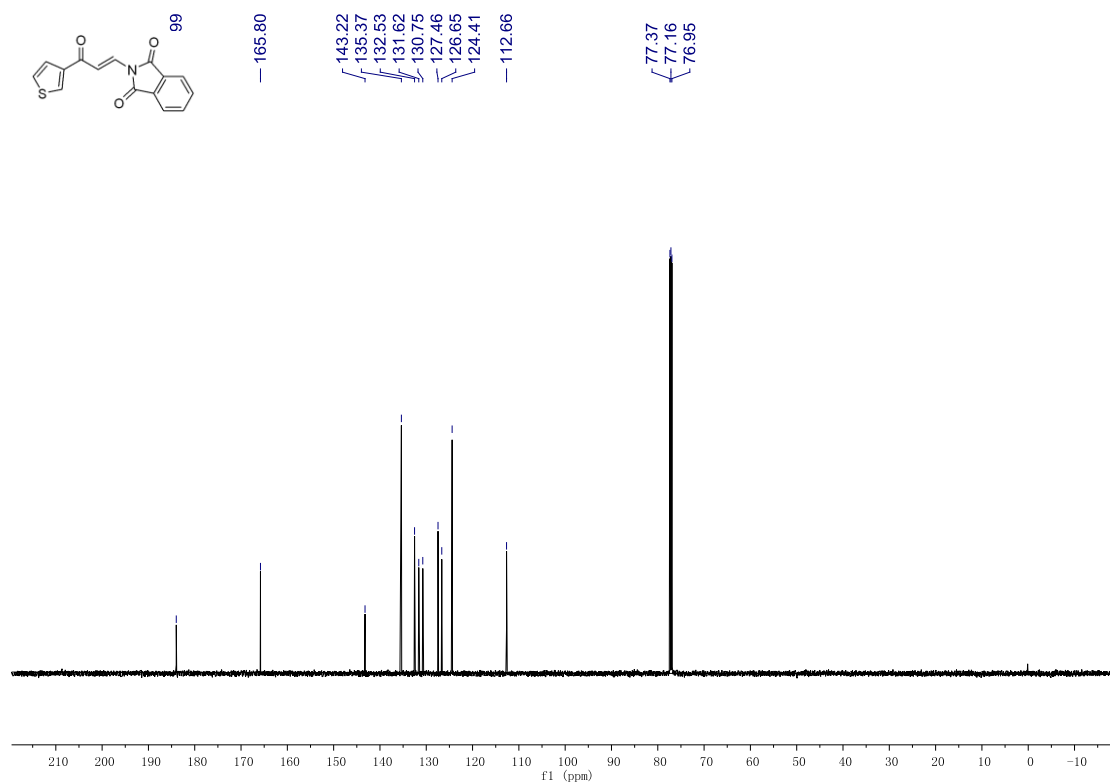


(E)-2-(3-oxo-3-(thiophen-3-yl)prop-1-en-1-yl)isoindoline-1,3-dione (**1r**)

^1H NMR (600 MHz, CDCl_3)

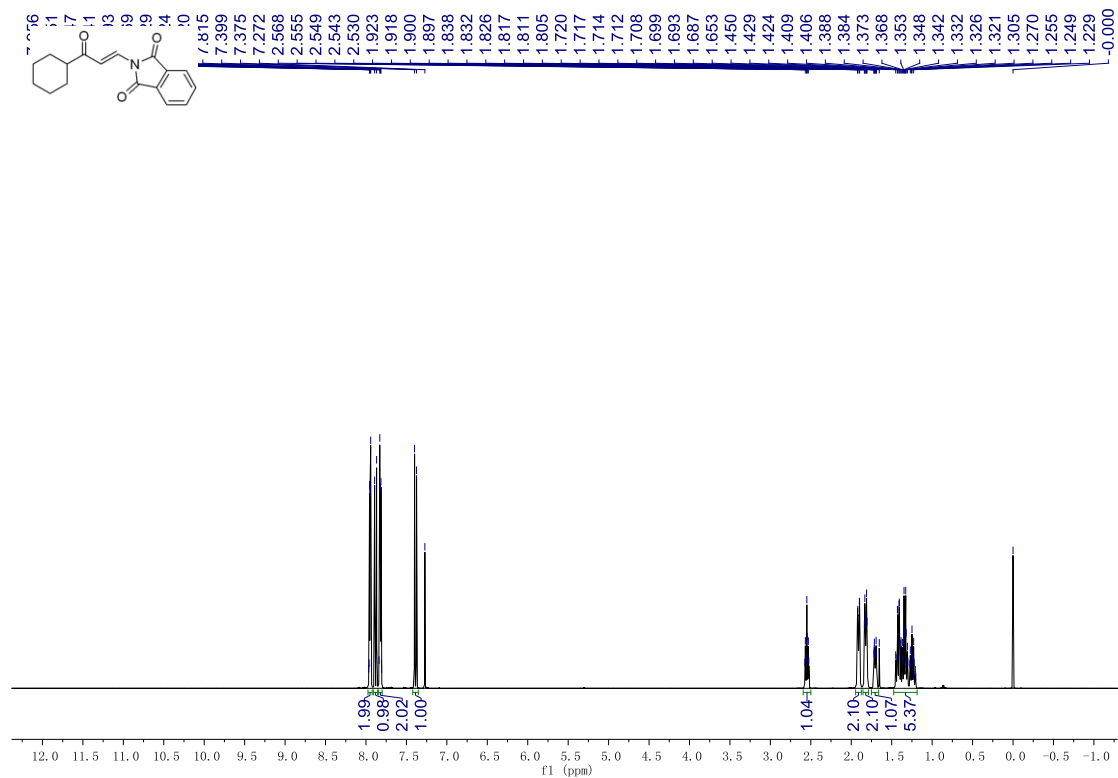


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

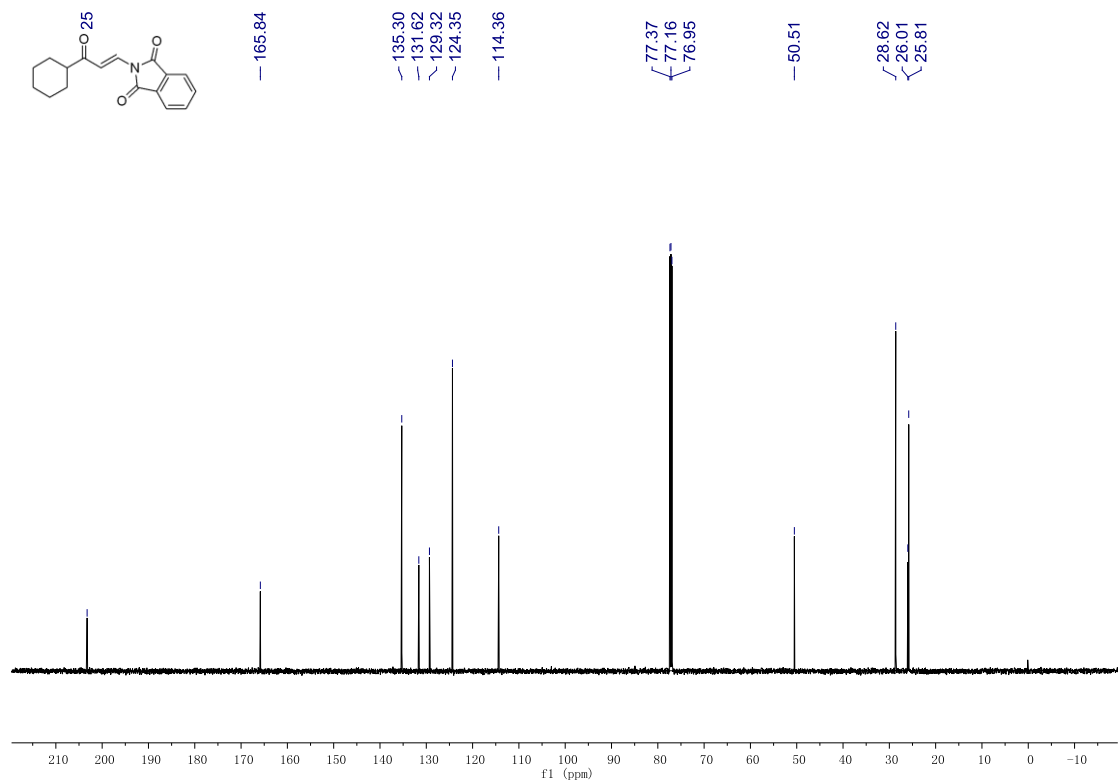


(E)-2-(3-cyclohexyl-3-oxoprop-1-en-1-yl)isoindoline-1,3-dione (**1t**)

^1H NMR (600 MHz, CDCl_3)

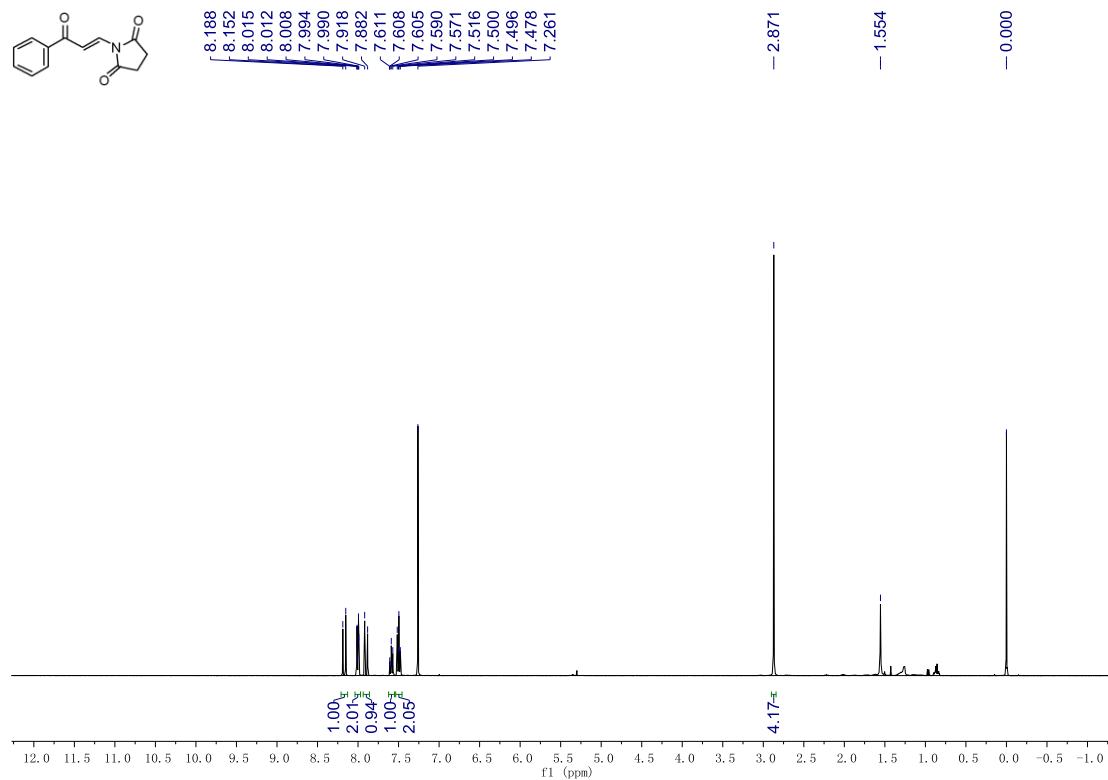


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

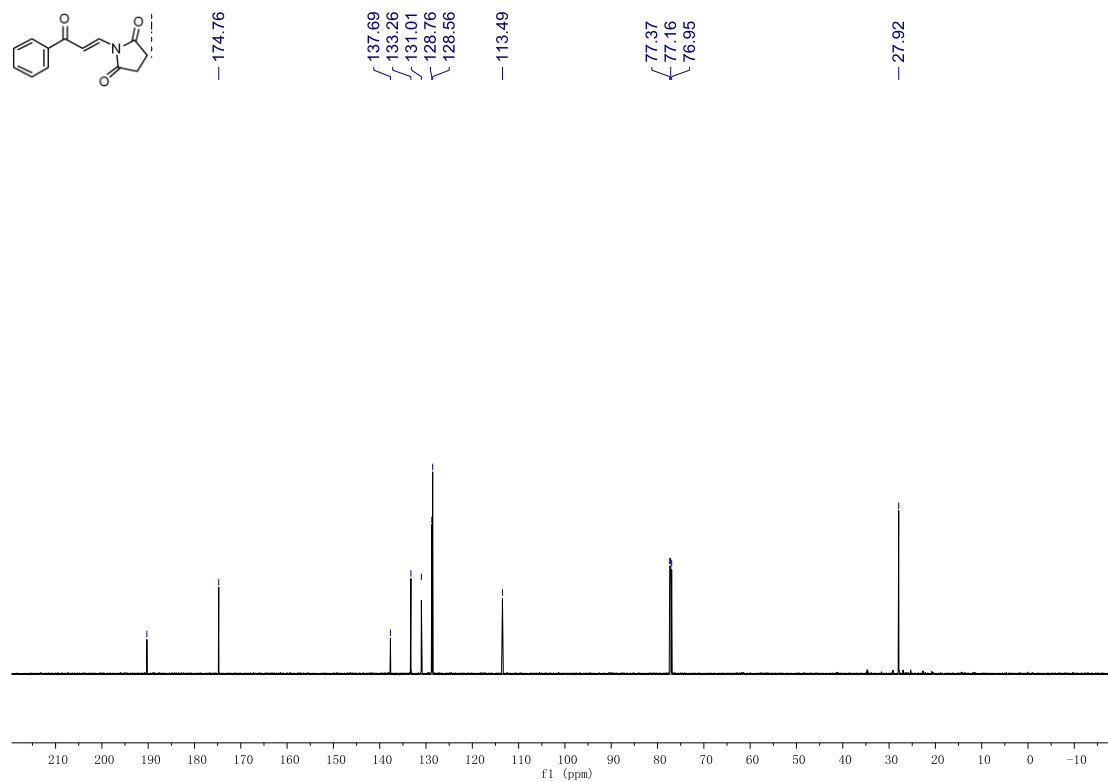


(E)-1-(3-oxo-3-phenylprop-1-en-1-yl)pyrrolidine-2,5-dione (**1u**)

^1H NMR (400 MHz, CDCl_3)

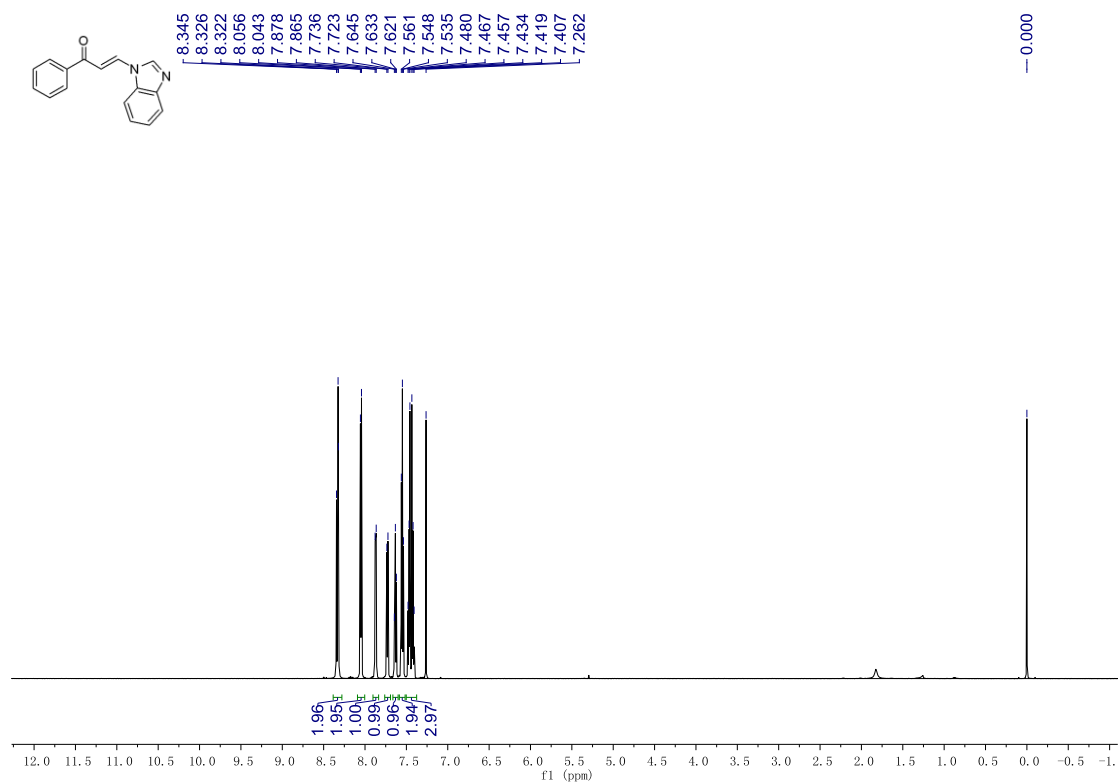


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

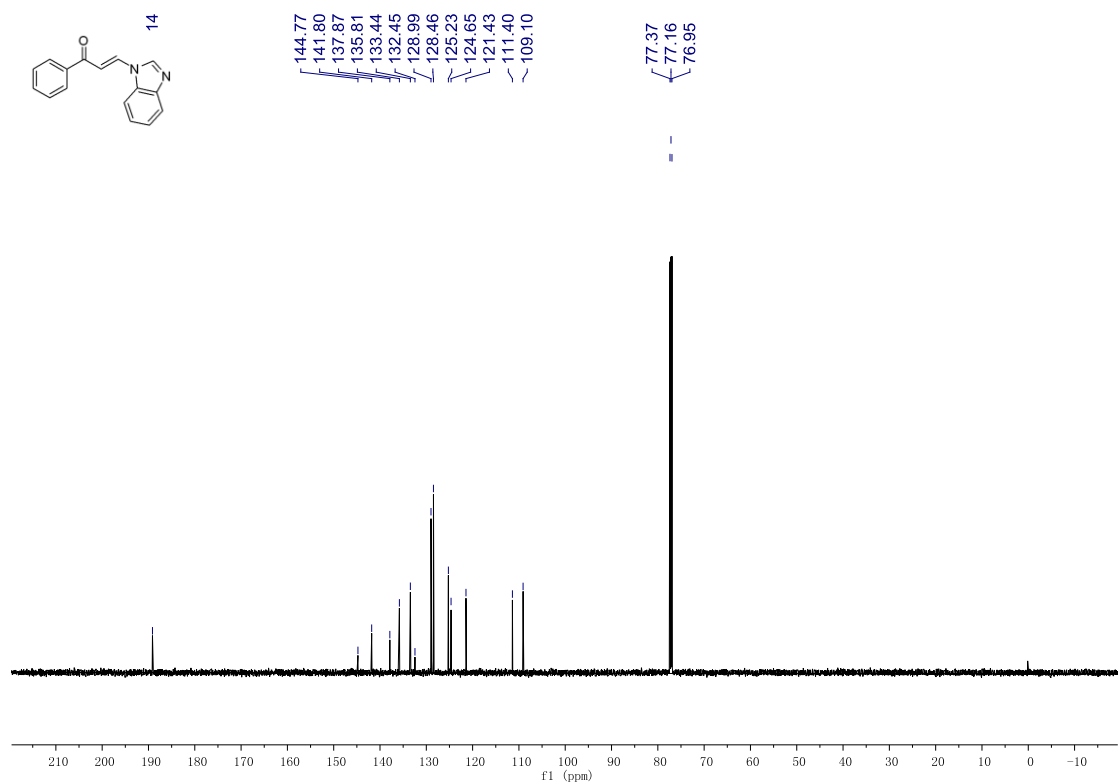


(E)-3-(1H-benzo[d]imidazol-1-yl)-1-phenylprop-2-en-1-one (**1v**)

¹H NMR (600 MHz, CDCl₃)

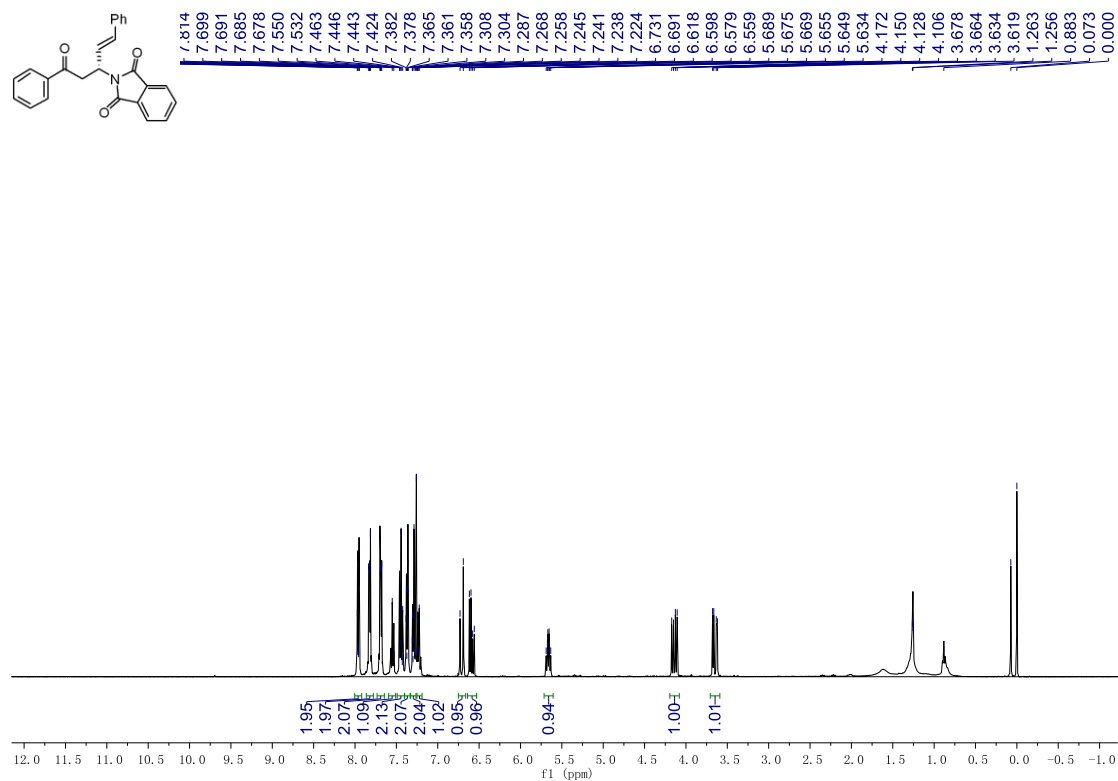


¹³C {¹H} NMR (150 MHz, CDCl₃)



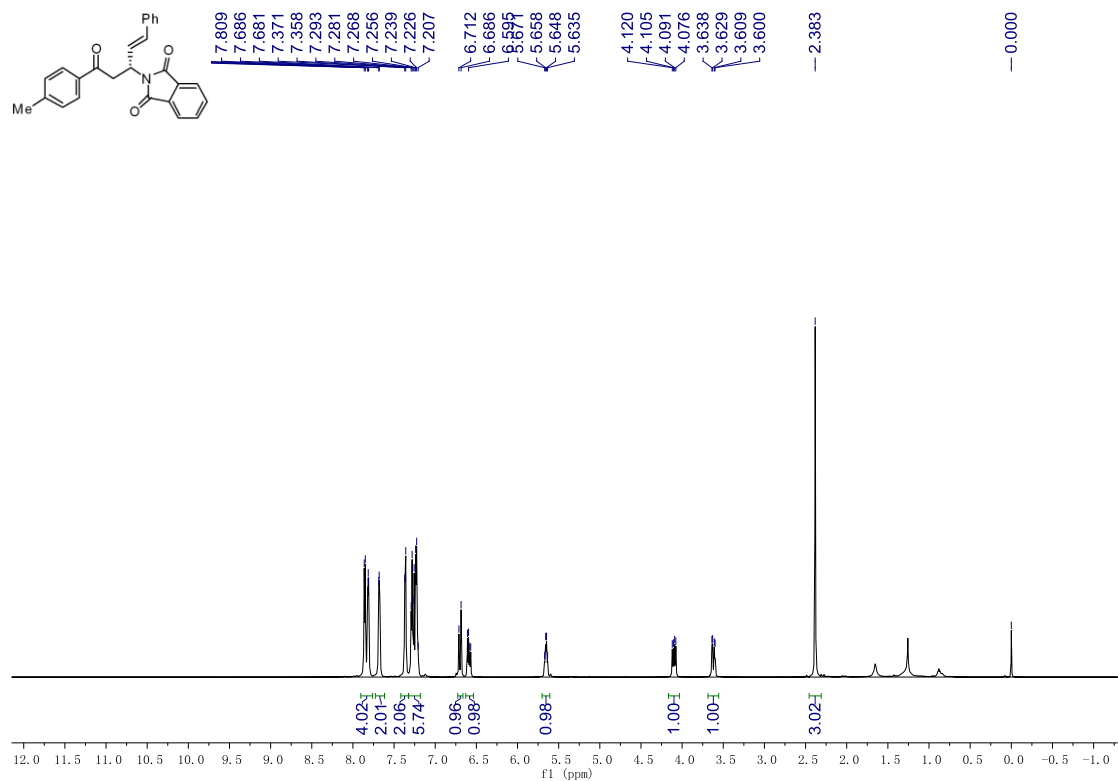
(R,E)-2-(5-oxo-1,5-diphenylpent-1-en-3-yl)isoindoline-1,3-dione (3aa)

¹H NMR (400 MHz, CDCl₃)

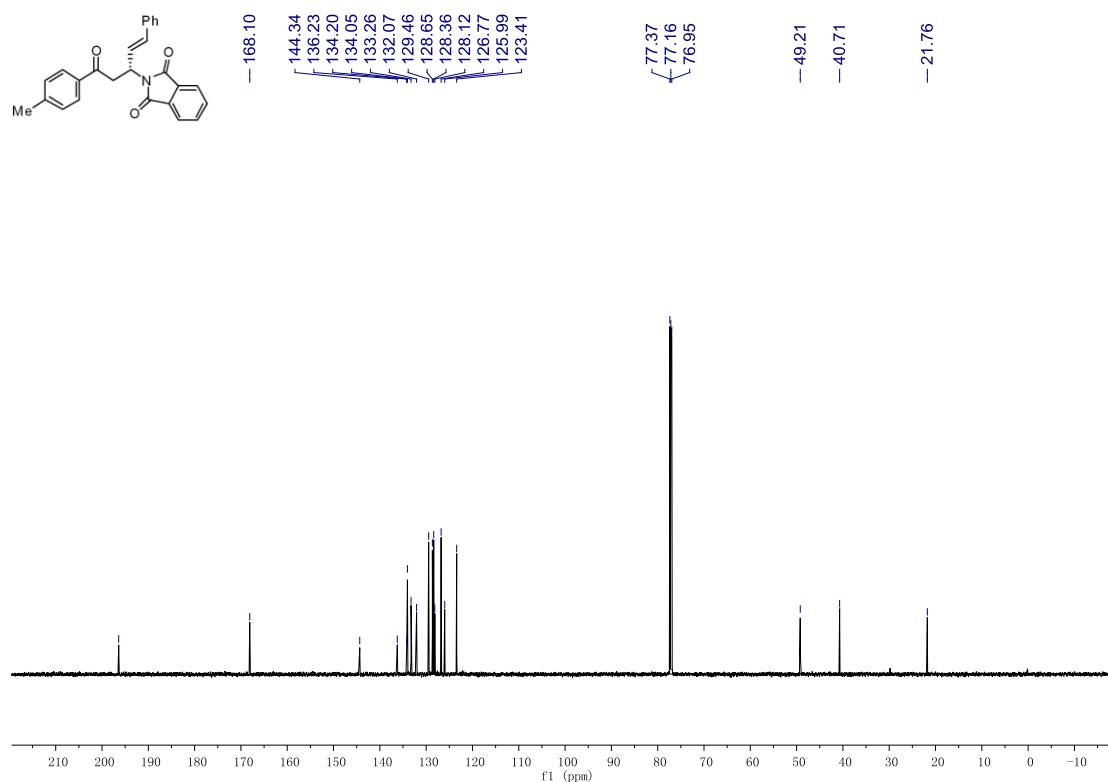


(R,E)-2-(5-oxo-1-phenyl-5-(p-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ba)

¹H NMR (600 MHz, CDCl₃)

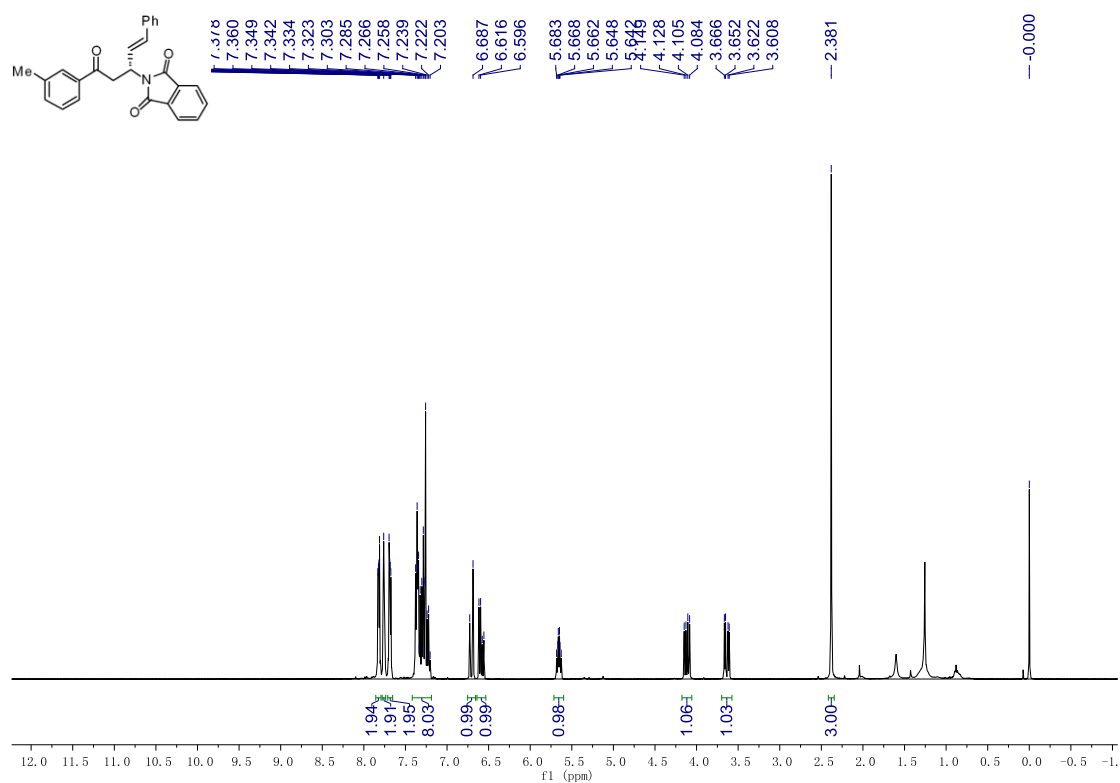


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

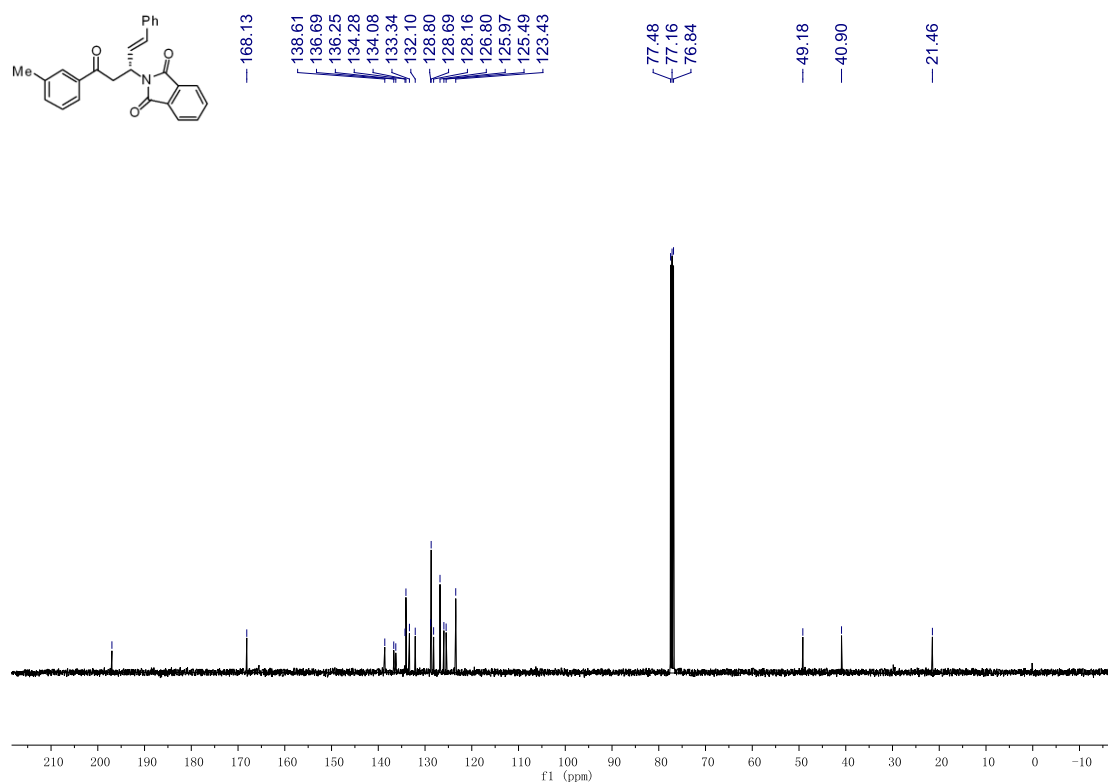


(R,E)-2-(5-oxo-1-phenyl-5-(m-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ca)

^1H NMR (400 MHz, CDCl_3)

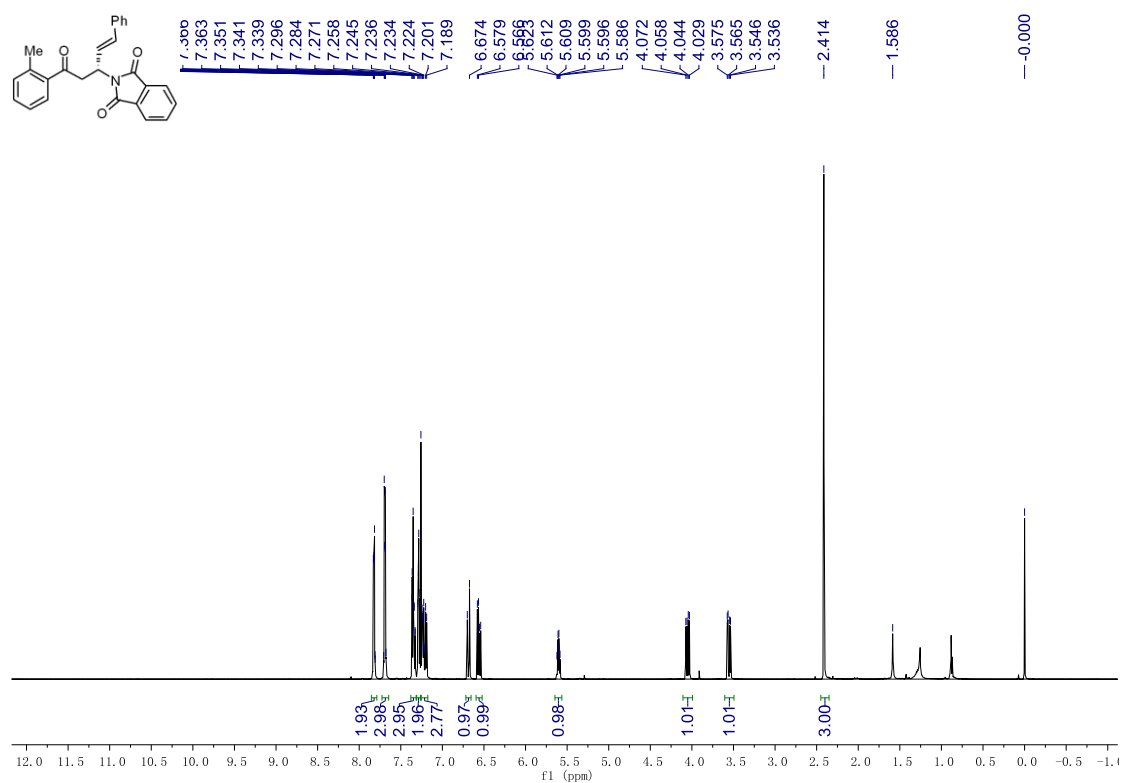


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

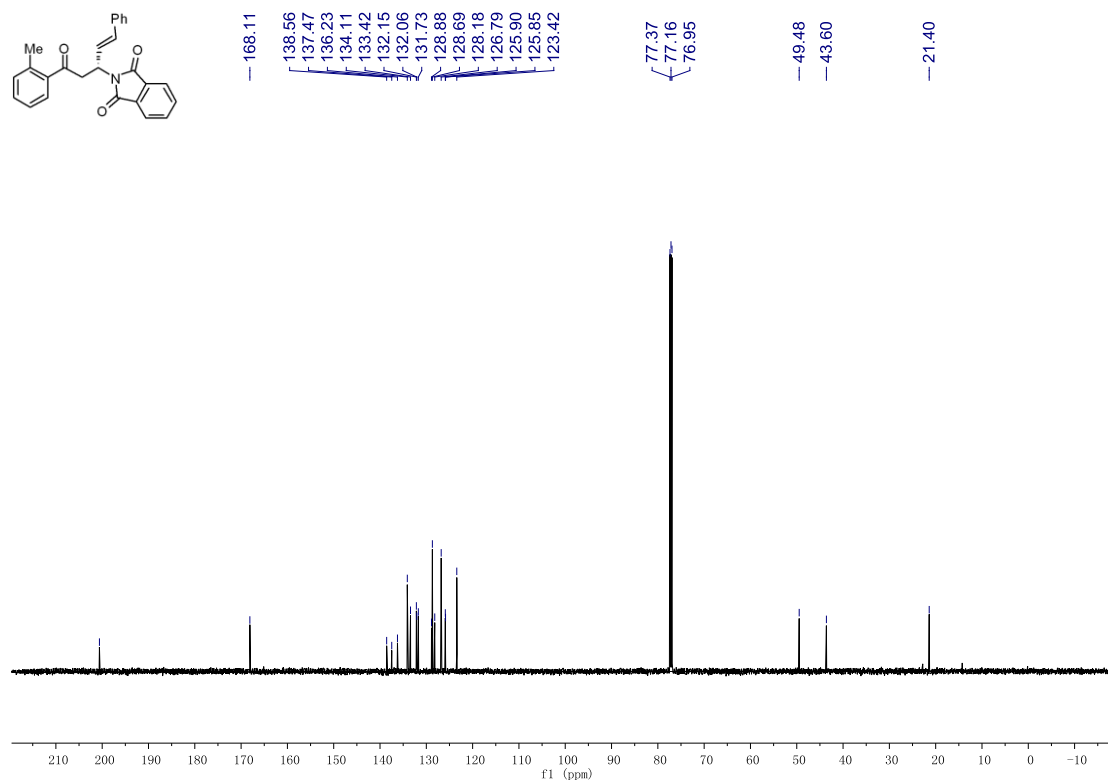


(R,E)-2-(5-oxo-1-phenyl-5-(o-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3da)

^1H NMR (600 MHz, CDCl_3)

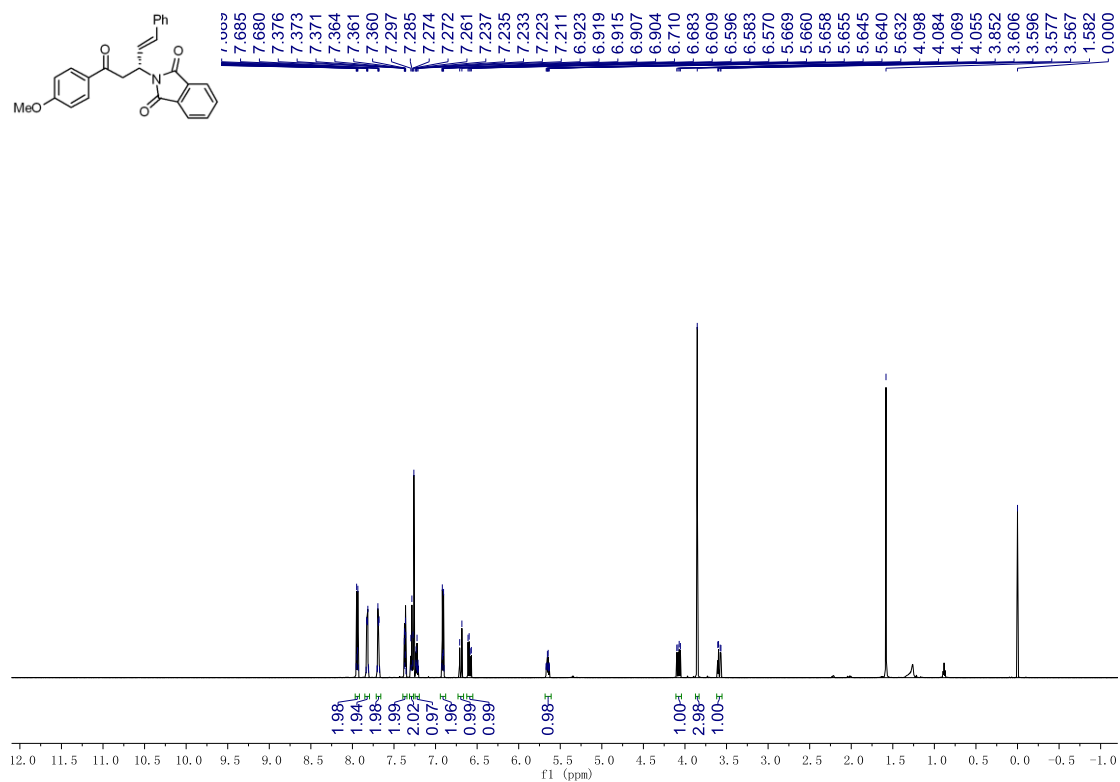


^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3)

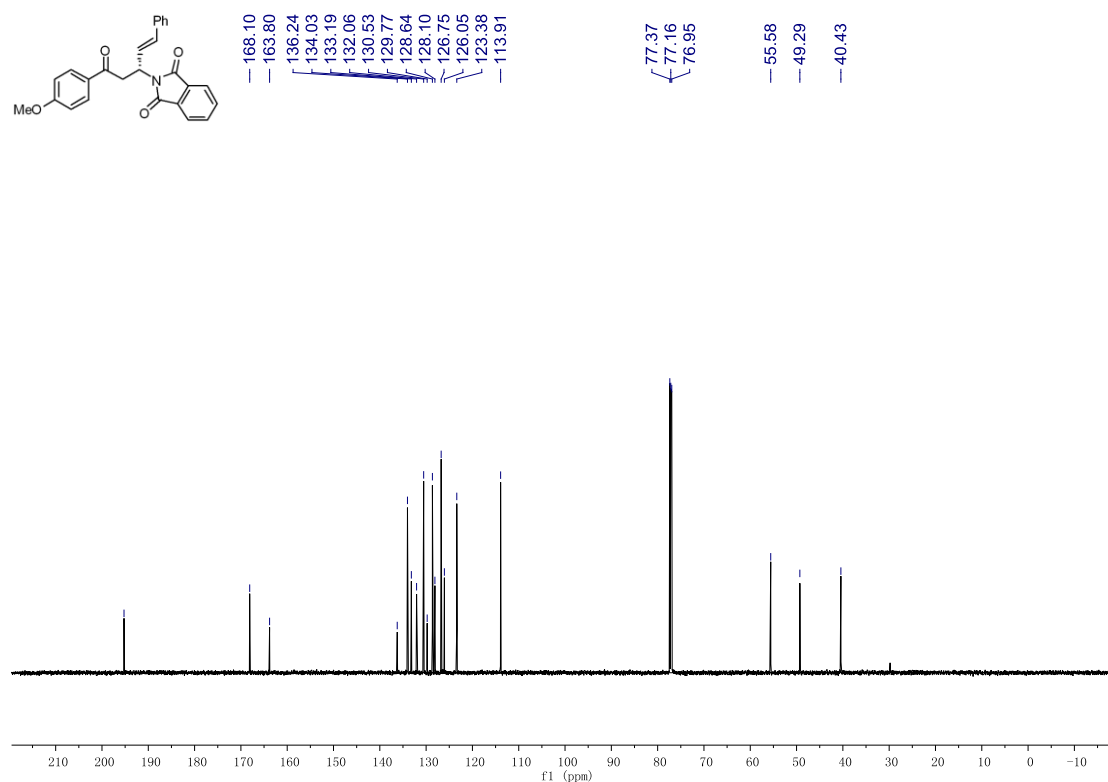


(R,E)-2-(5-(4-methoxyphenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ea)

^1H NMR (600 MHz, CDCl_3)

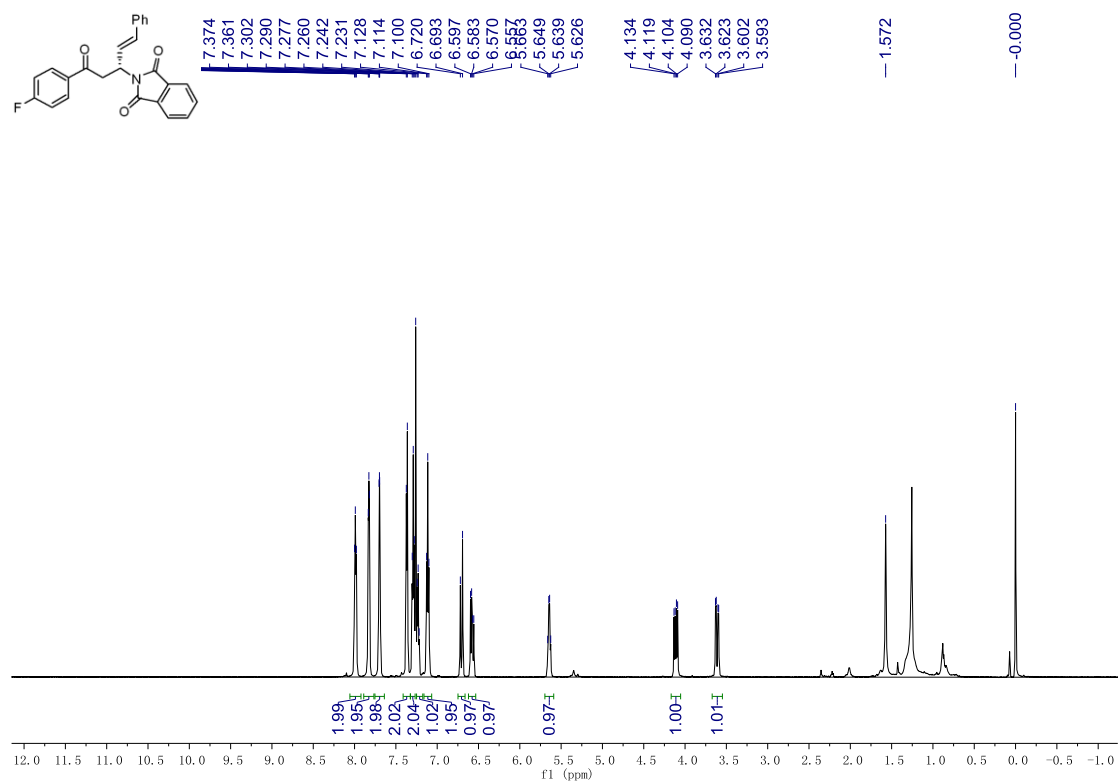


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

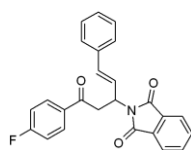


(*R,E*)-2-(5-(4-fluorophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3fa)

^1H NMR (600 MHz, CDCl_3)



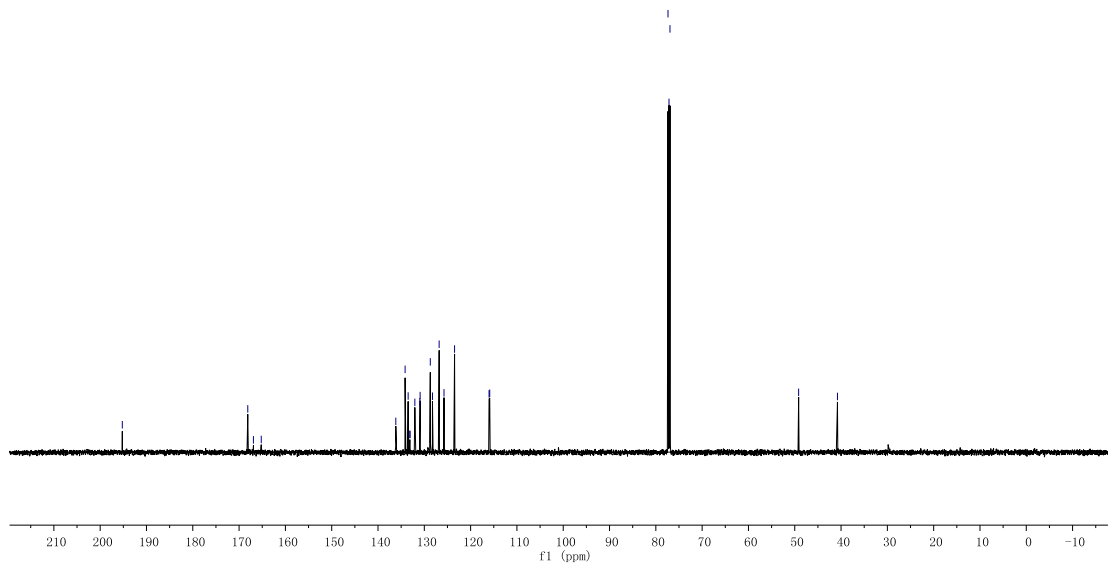
$^{13}\text{C} \{^1\text{H}\}$ NMR (150 MHz, CDCl_3)



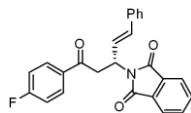
168.12
166.90
165.21
136.15
134.15
133.49
133.12
133.10
132.04
130.97
130.90
128.71
128.24
126.79
125.74
123.47
116.02
115.87

77.37
77.16
76.95

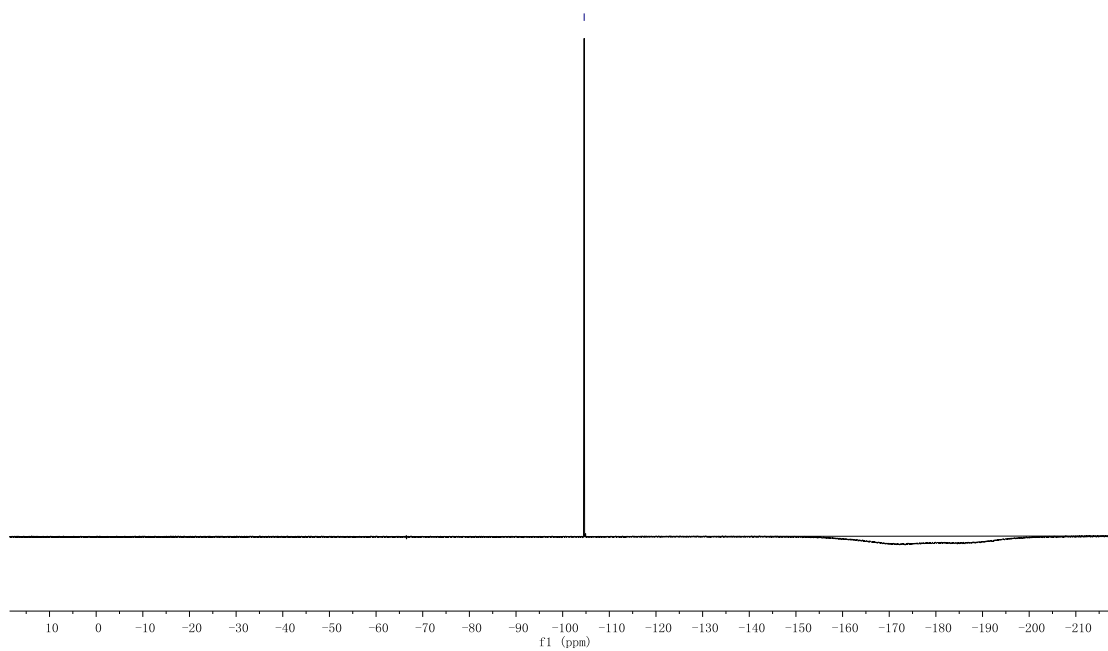
49.16
40.78



$^{19}\text{F} \{^1\text{H}\}$ NMR (564 MHz, CDCl_3)

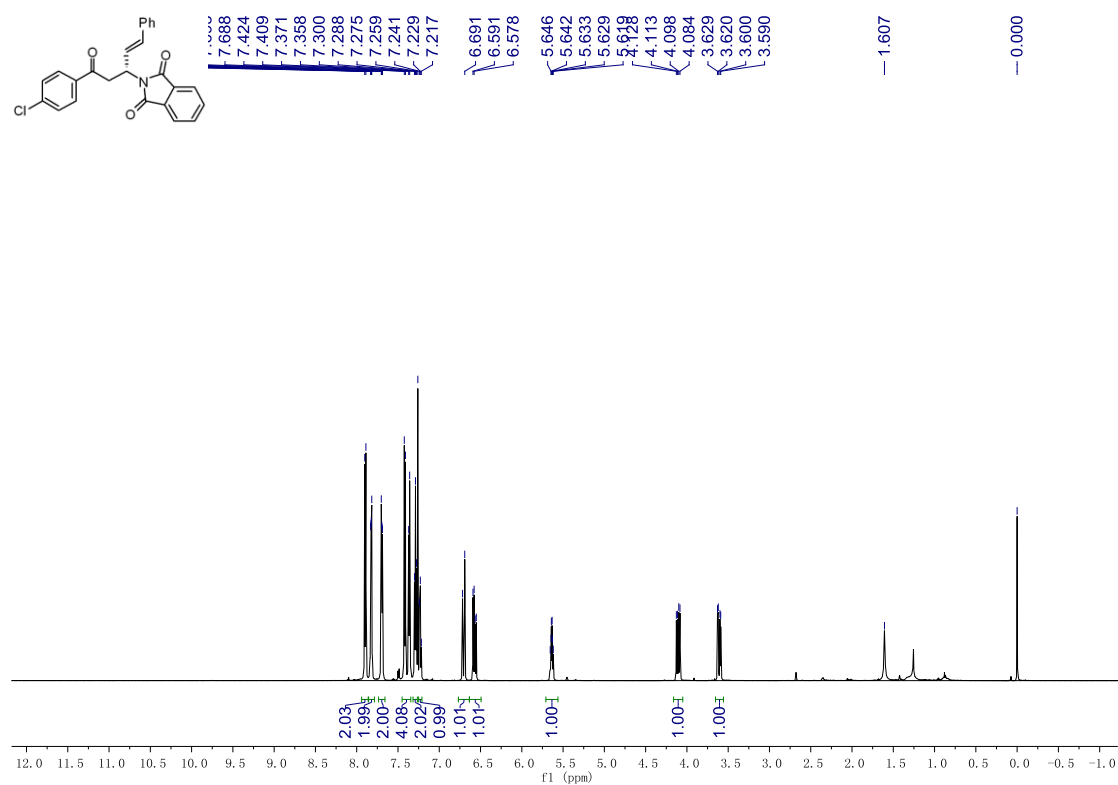


-104.61

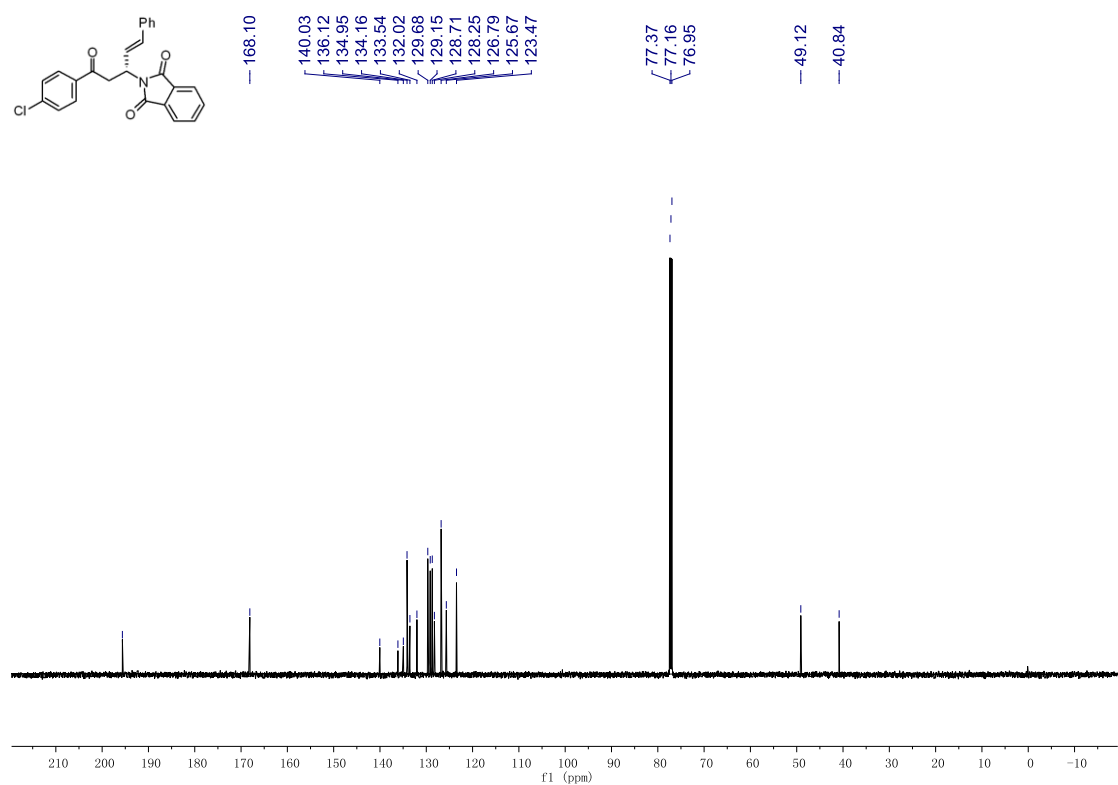


(*R,E*)-2-(5-(4-chlorophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ga)

^1H NMR (600 MHz, CDCl_3)

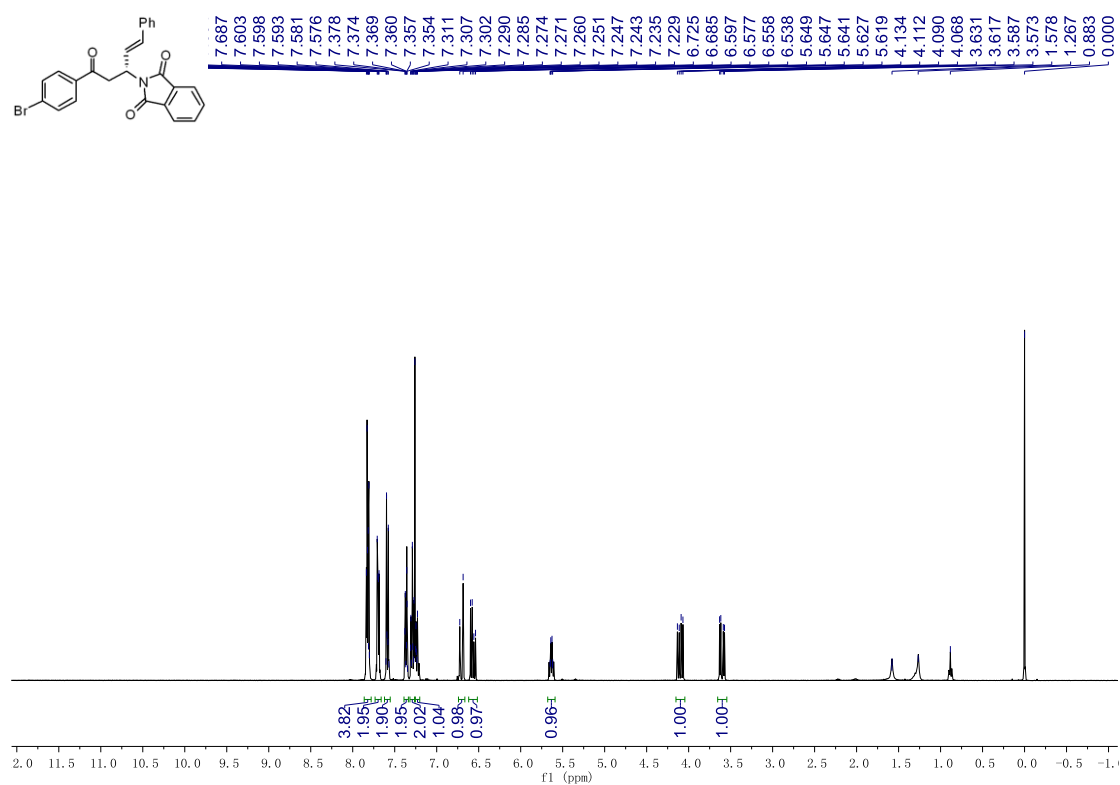


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

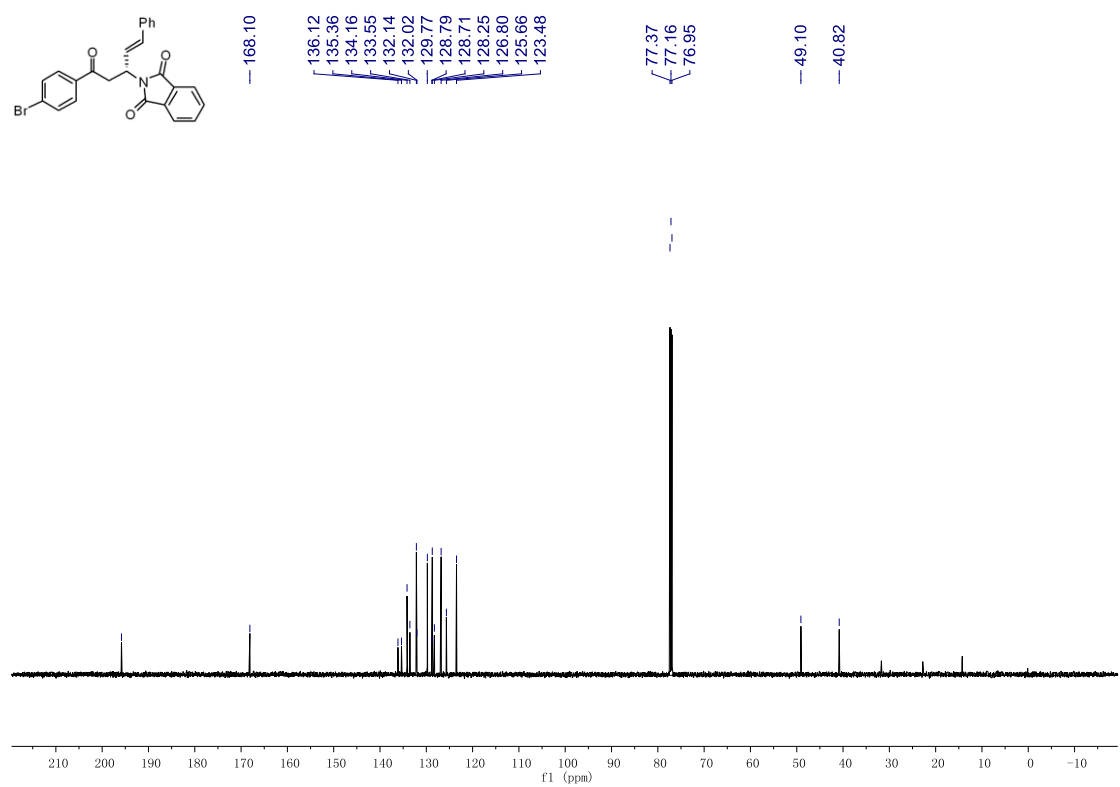


(*R,E*)-2-(5-(4-bromophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ha)

^1H NMR (400 MHz, CDCl_3)

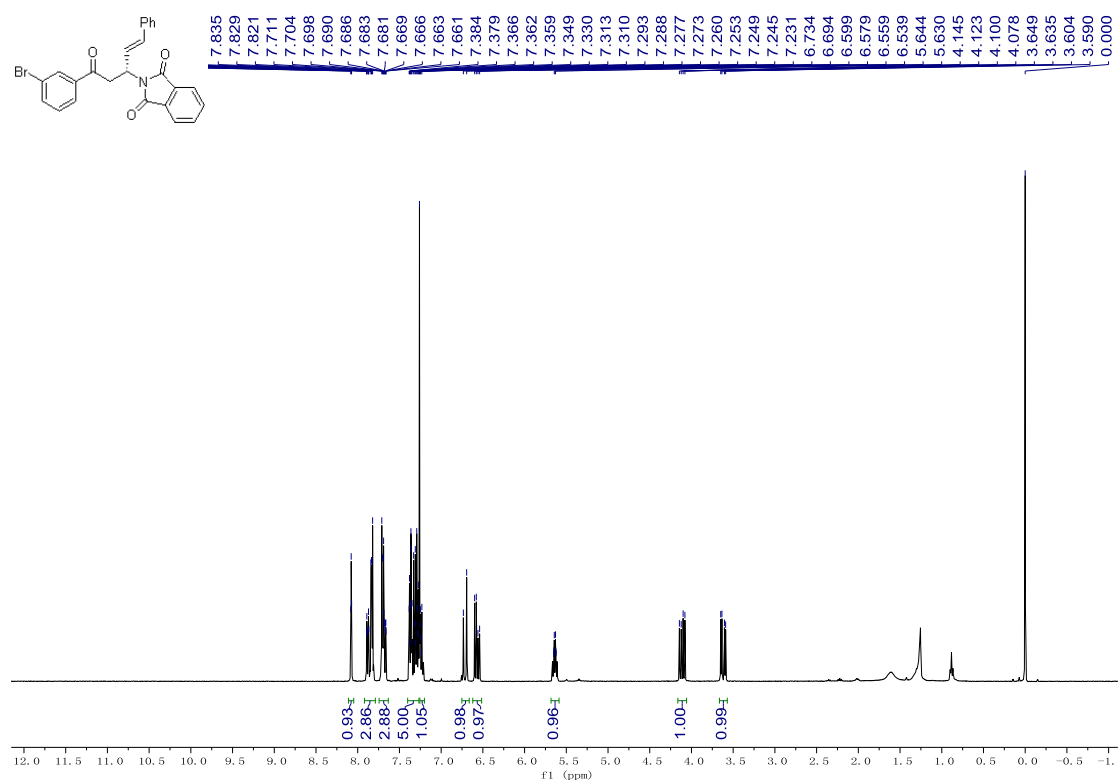


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

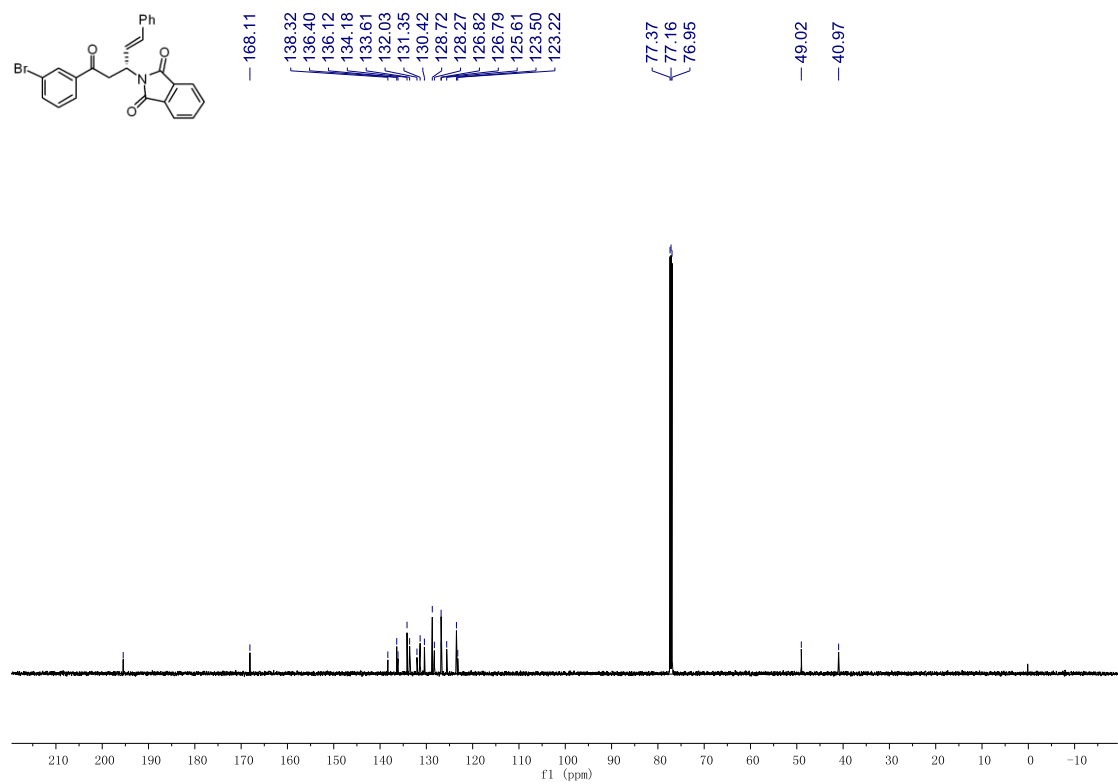


(*R,E*)-2-(5-(3-bromophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione
(3ia)

^1H NMR (400 MHz, CDCl_3)

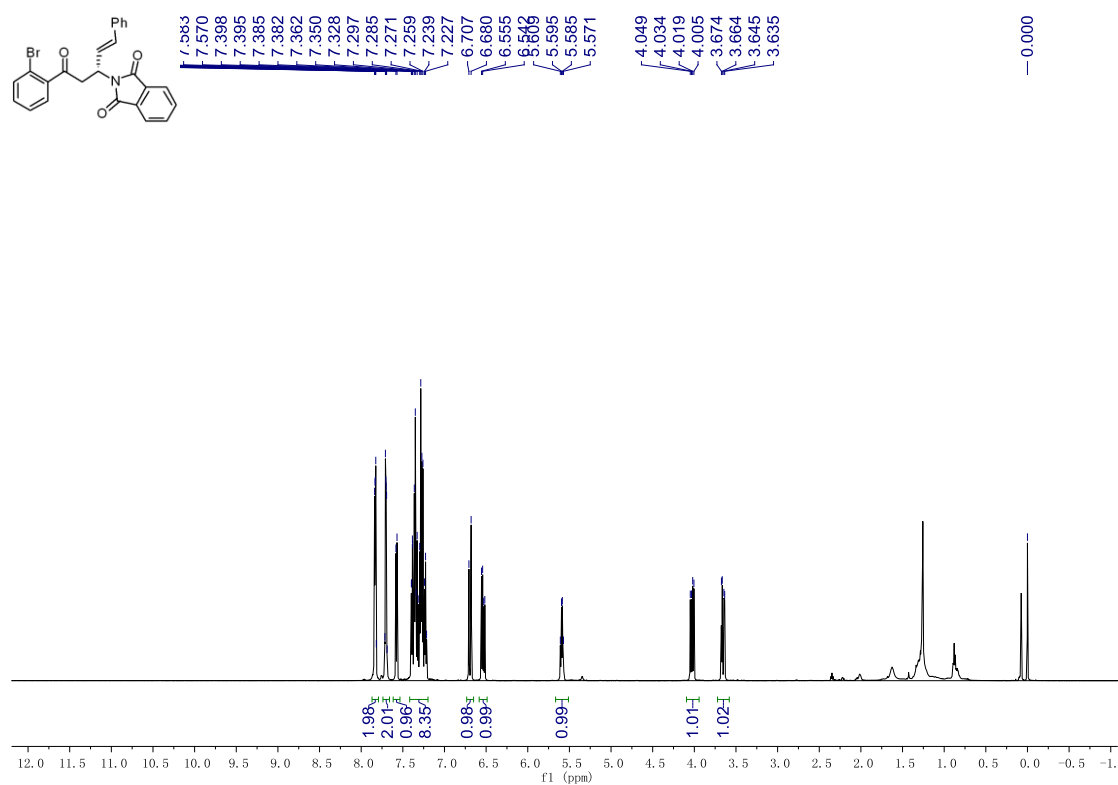


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

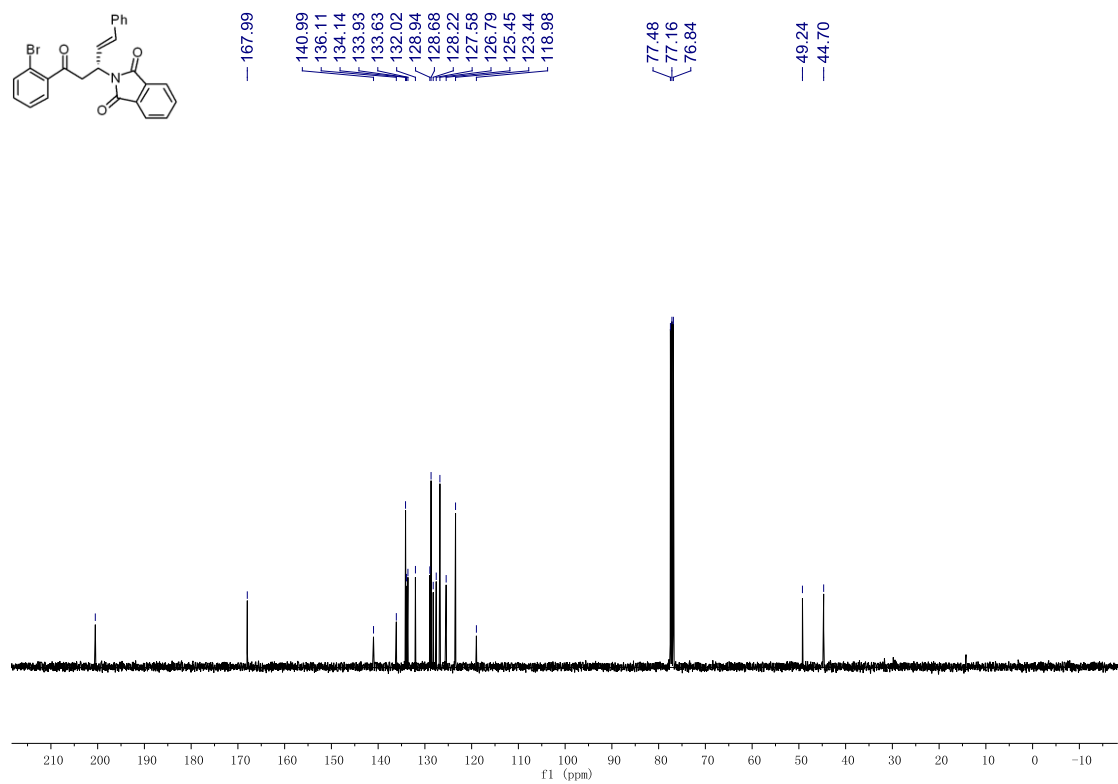


(*R,E*)-2-(5-(2-bromophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione
(3ja)

^1H NMR (600 MHz, CDCl_3)

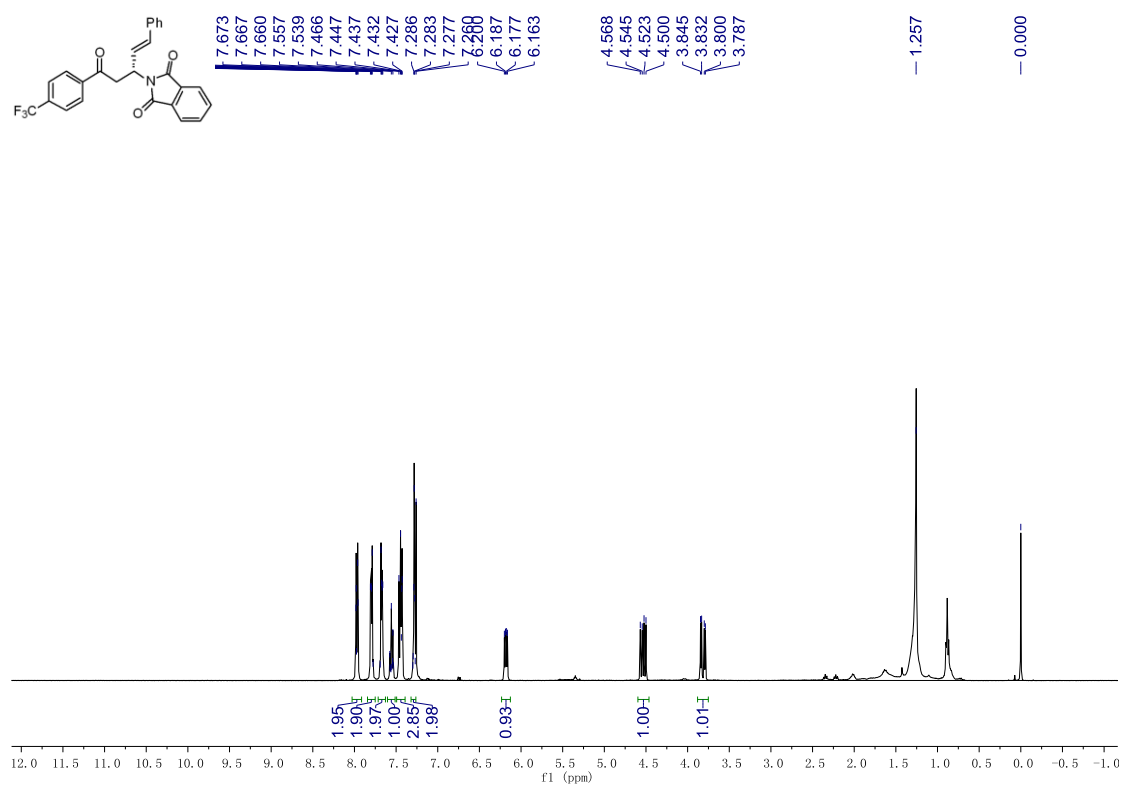


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

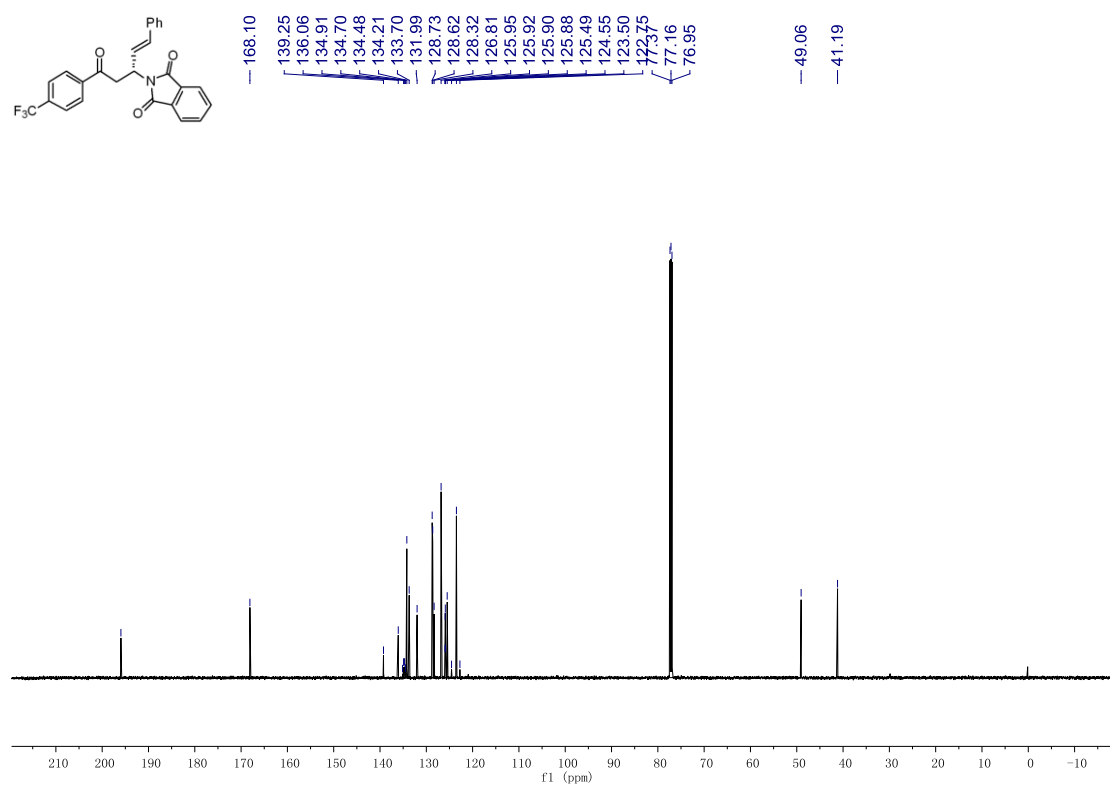


(*R,E*)-2-(5-oxo-1-phenyl-5-(4-(trifluoromethyl)phenyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ka)

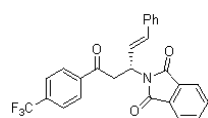
^1H NMR (400 MHz, CDCl_3)



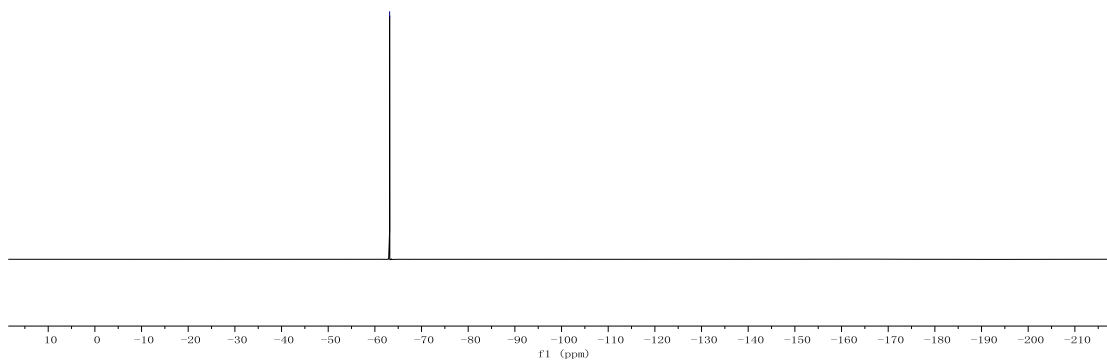
^{13}C { ^1H } NMR (150 MHz, CDCl_3)



^{19}F $\{^1\text{H}\}$ NMR (564 MHz, CDCl_3)

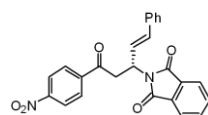


-63.16

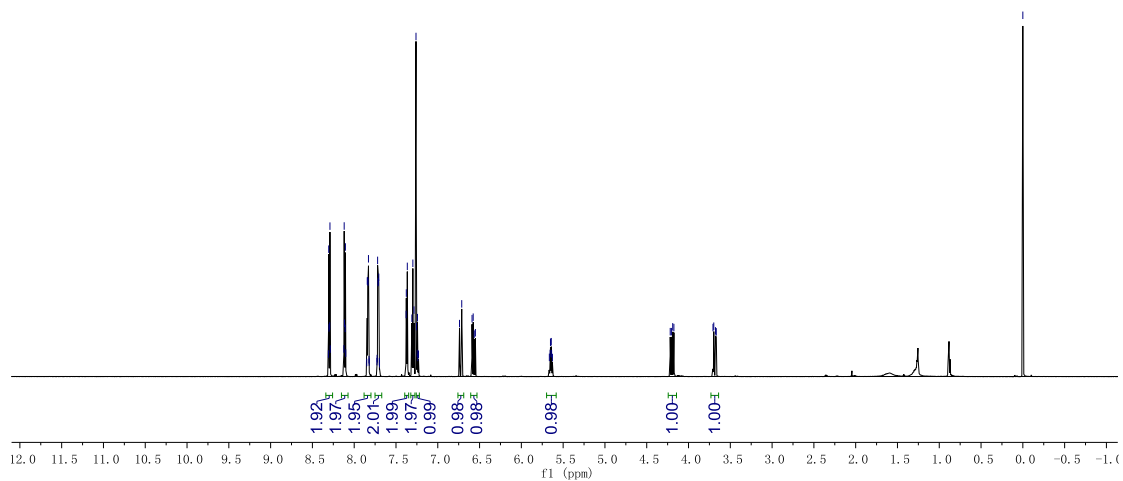


(*R,E*)-2-(5-(4-nitrophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3la)

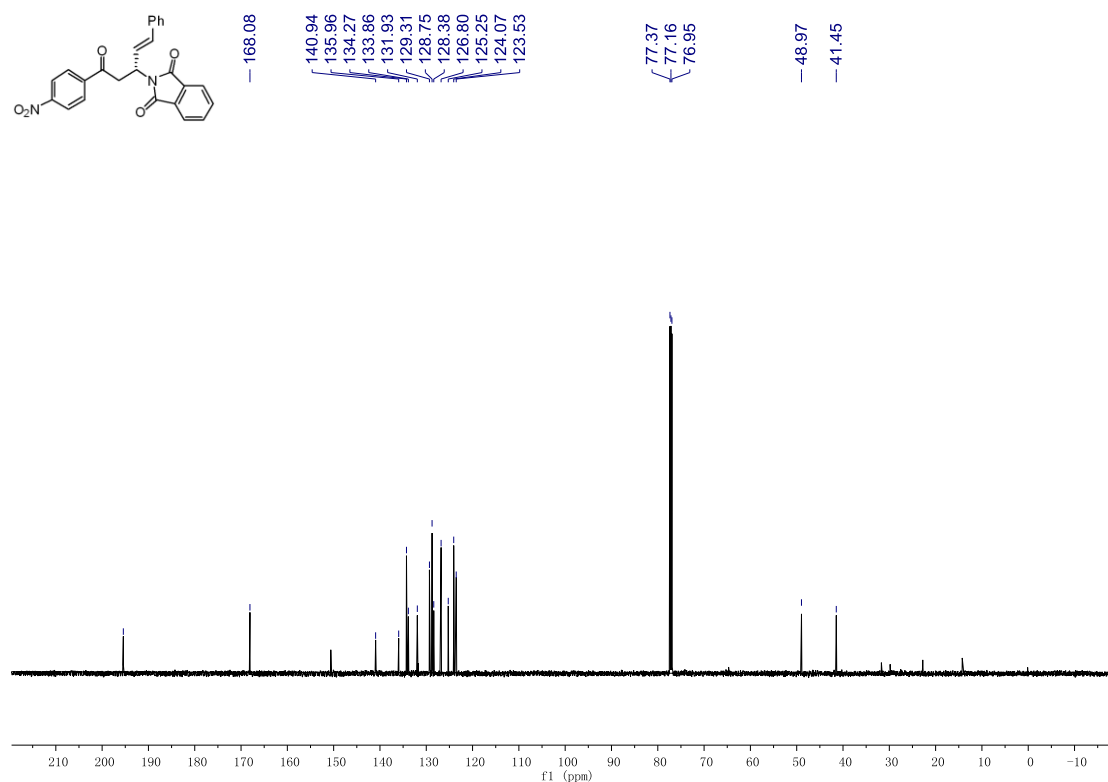
^1H NMR (600 MHz, CDCl_3)



8.105
8.101
7.843
7.838
7.834
7.829
7.823
7.821
7.728
7.726
7.720
7.715
7.711
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7.699
7.689
7.379
7.377
7.365
7.310
7.298
7.285
7.261
7.255
7.246
7.243
7.239
7.231
6.740
6.713
6.590
6.576
6.564
6.550
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6.655
6.652
6.642
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4.175
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3.698
3.677
3.668
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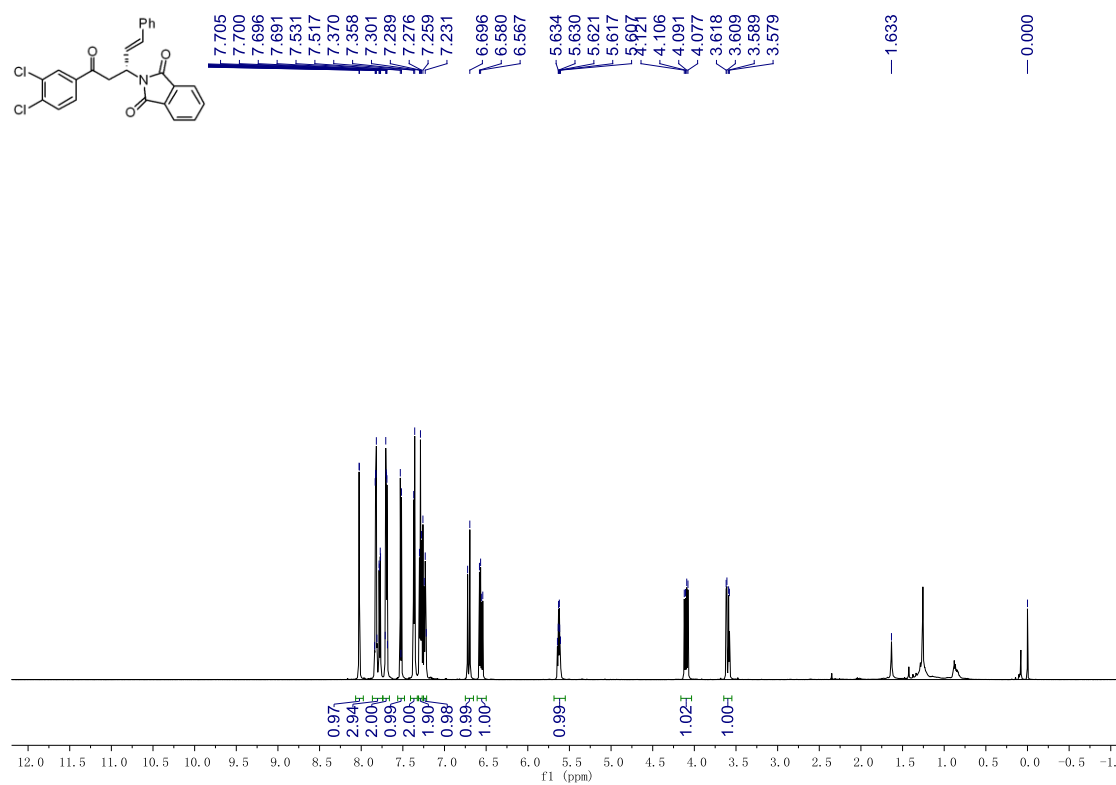


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

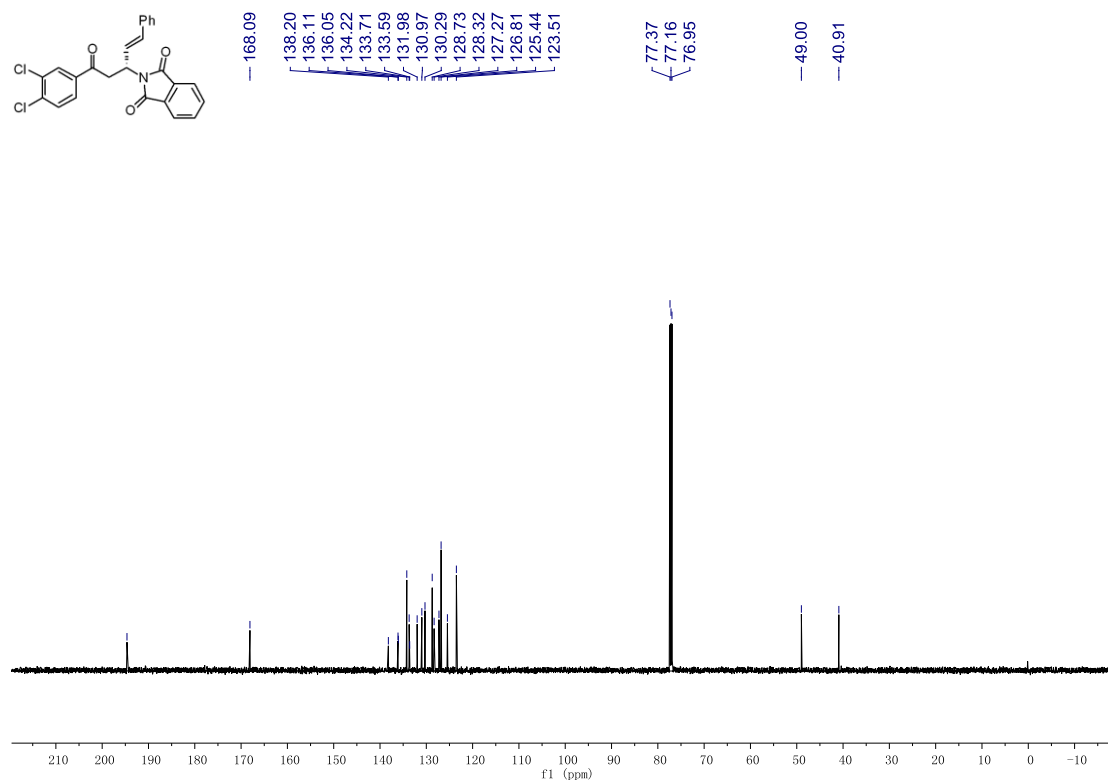


(R,E)-2-(5-(3,4-dichlorophenyl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ma)

^1H NMR (600 MHz, CDCl_3)

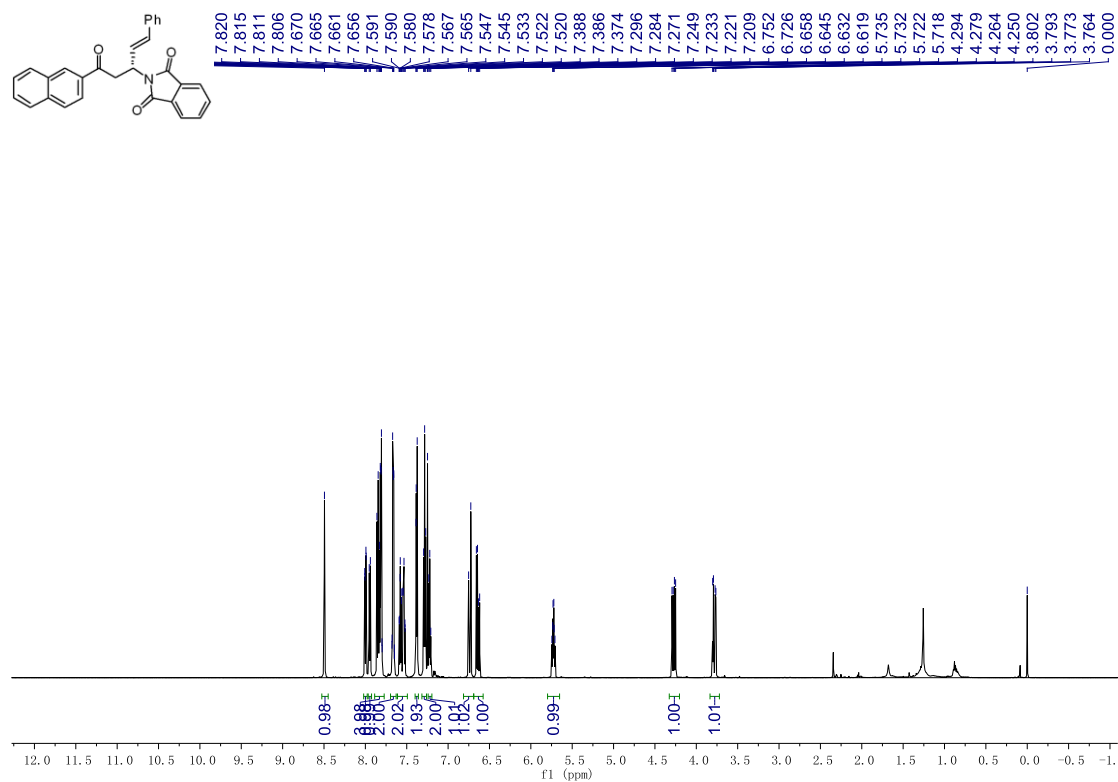


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

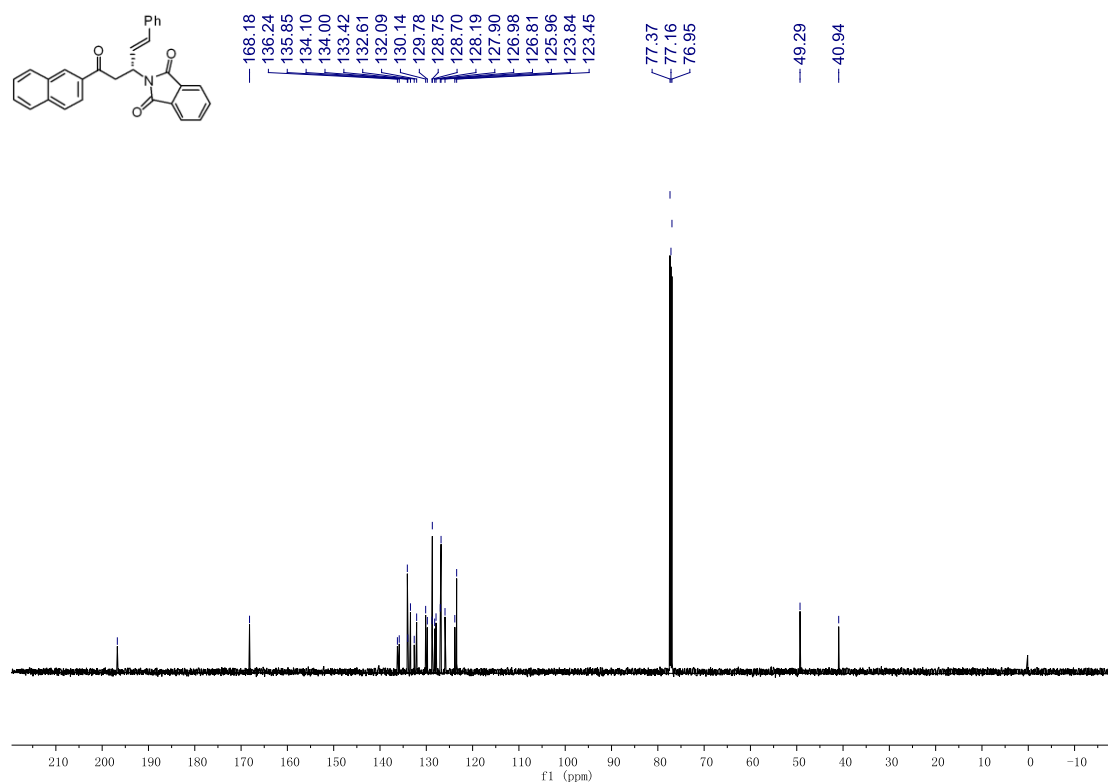


(*R,E*)-2-(5-(naphthalen-2-yl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3na)

^1H NMR (600 MHz, CDCl_3)

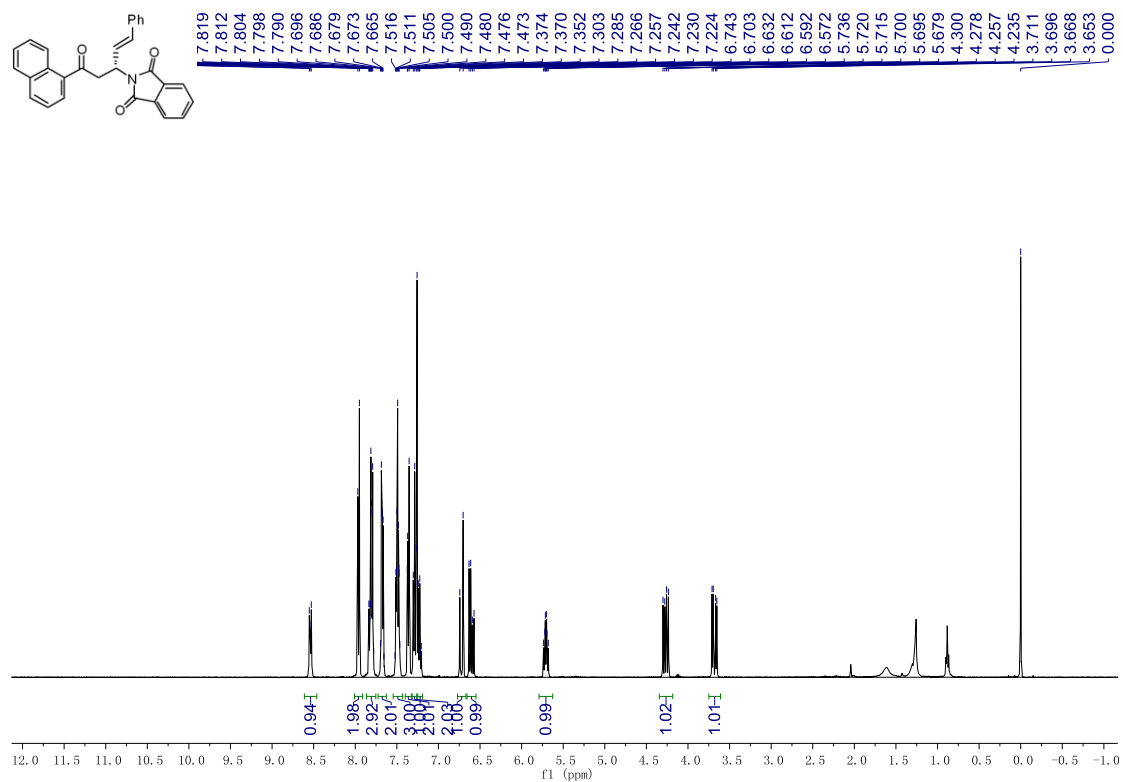


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

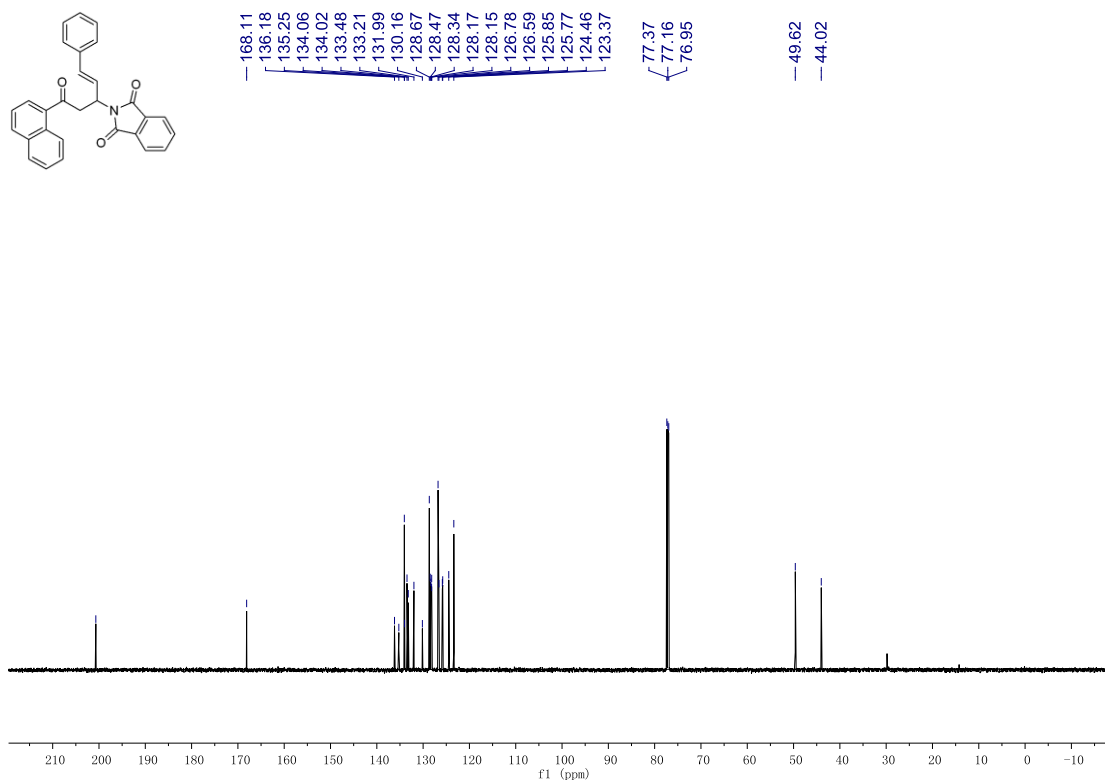


(R,E)-2-(5-(naphthalen-1-yl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (30a)

^1H NMR (400 MHz, CDCl_3)

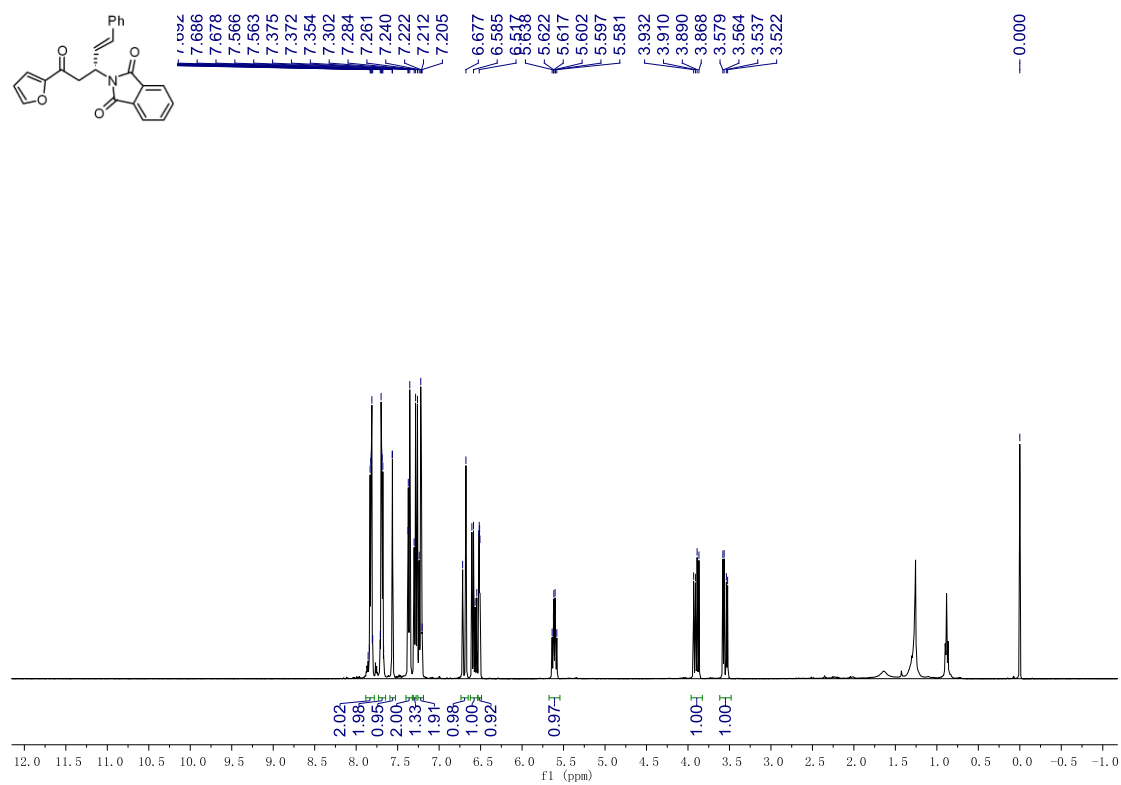


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

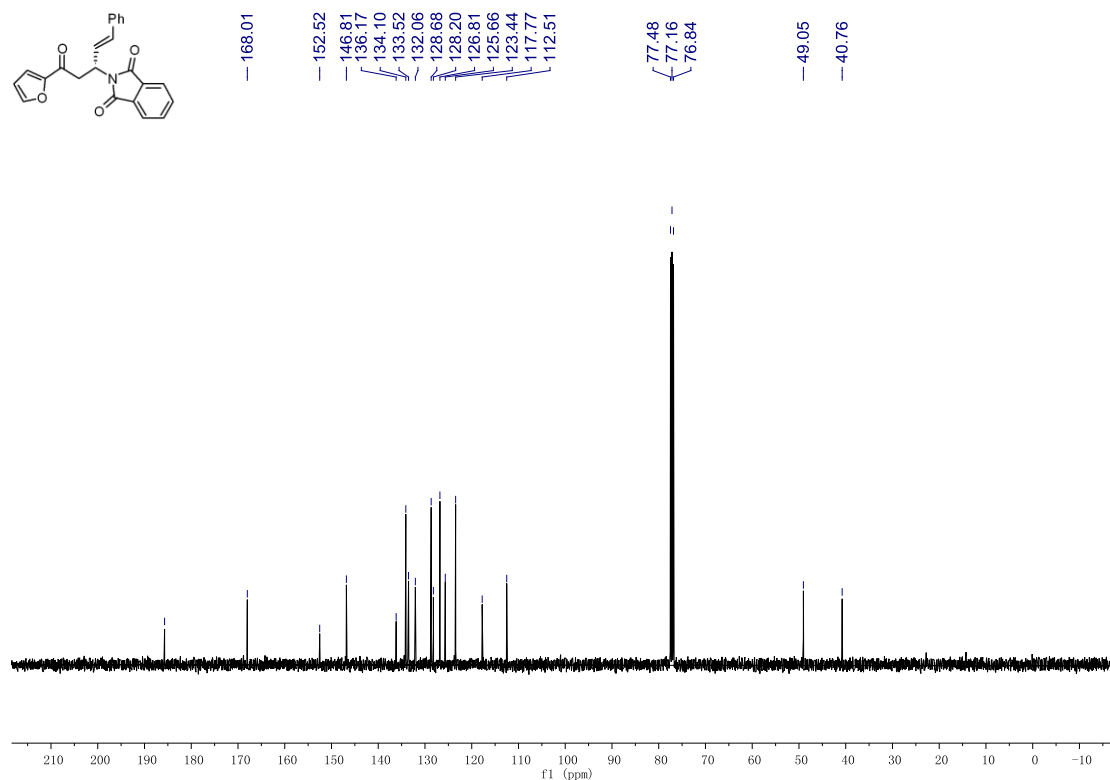


(R,E)-2-(5-(furan-2-yl)-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3pa)

^1H NMR (400 MHz, CDCl_3)

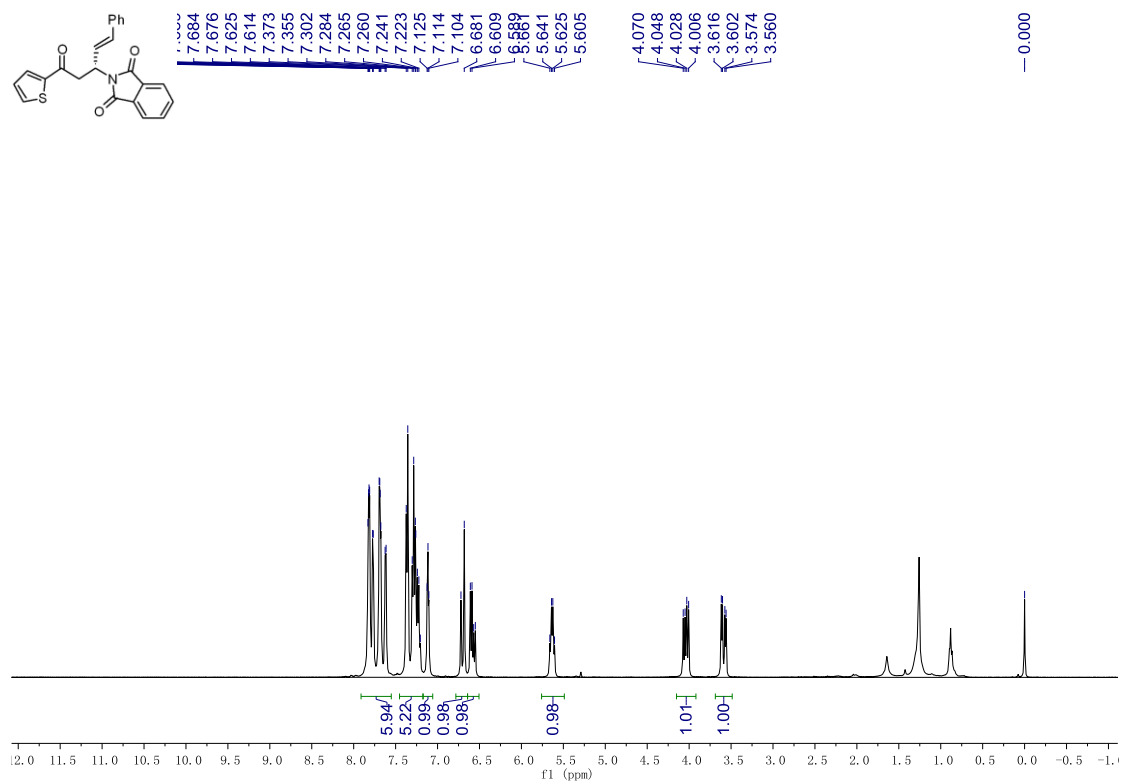


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

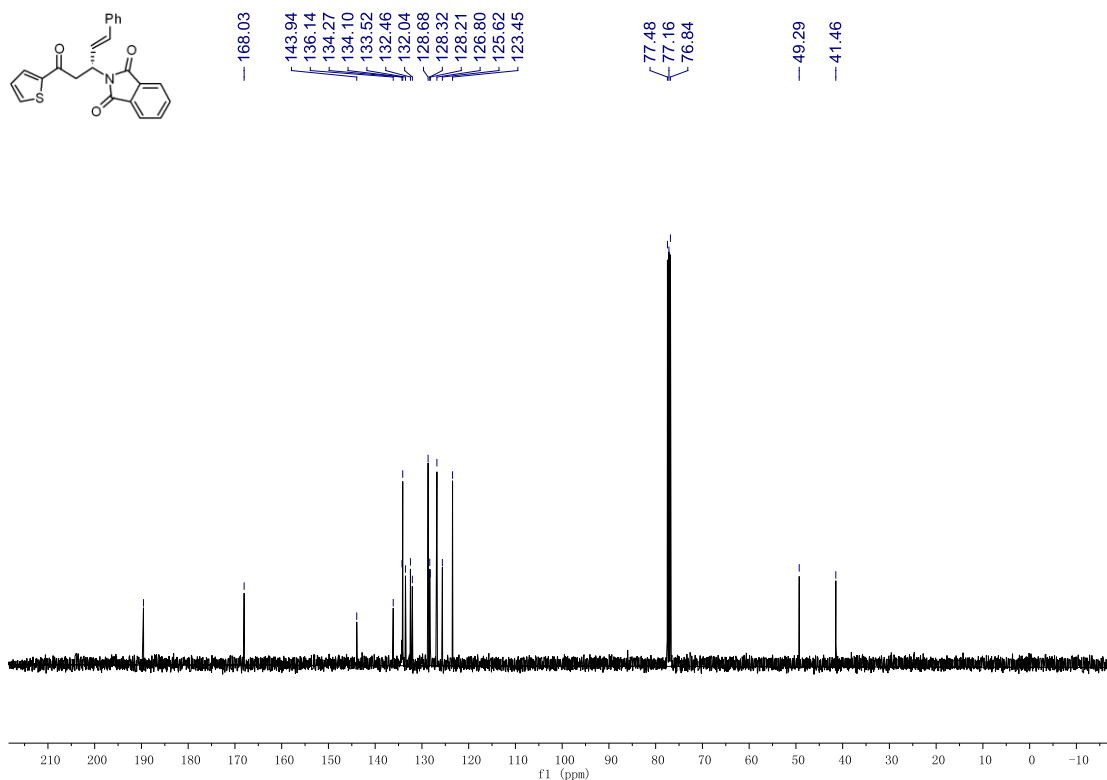


(R,E)-2-(5-oxo-1-phenyl-5-(thiophen-2-yl)pent-1-en-3-yl)isoindoline-1,3-dione (3qa)

^1H NMR (400 MHz, CDCl_3)

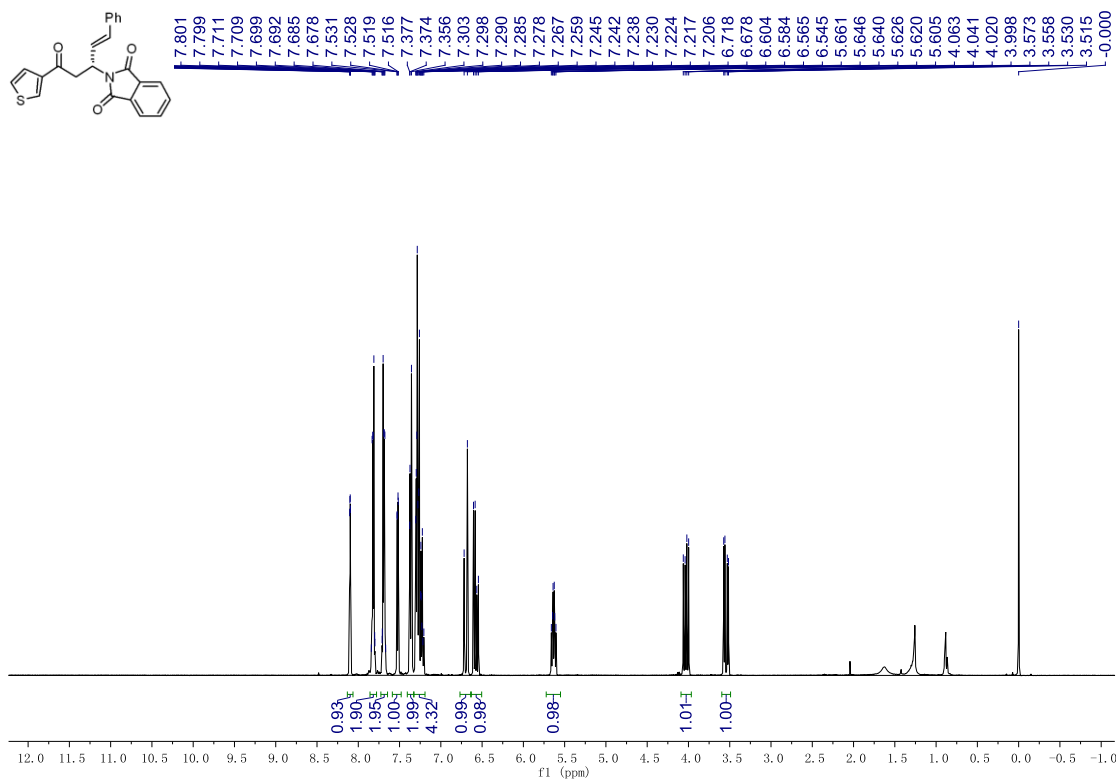


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

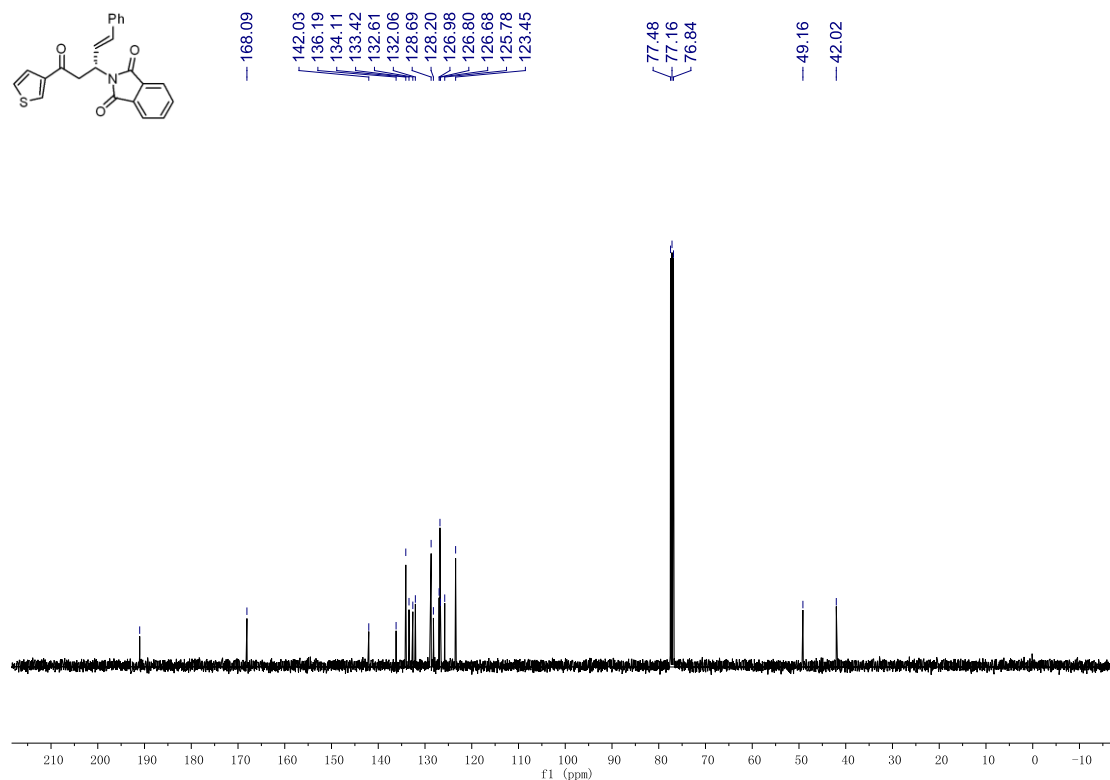


(R,E)-2-(5-oxo-1-phenyl-5-(thiophen-3-yl)pent-1-en-3-yl)isoindoline-1,3-dione (3ra)

^1H NMR (400 MHz, CDCl_3)

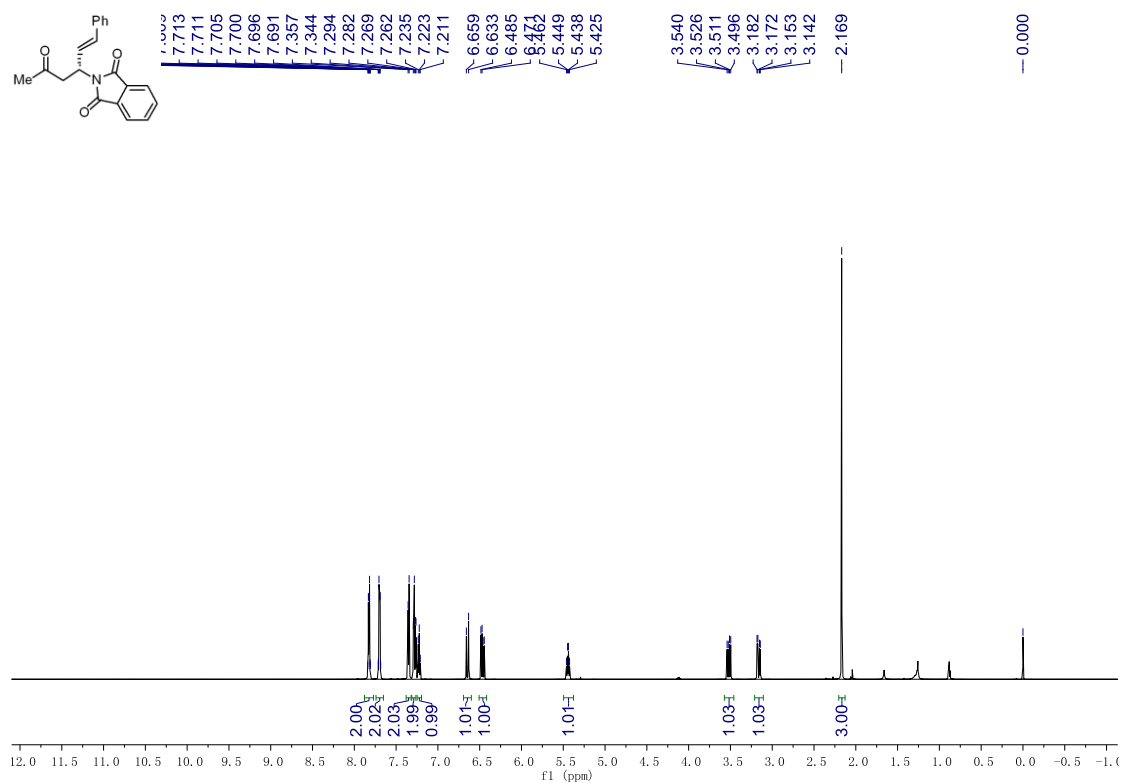


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

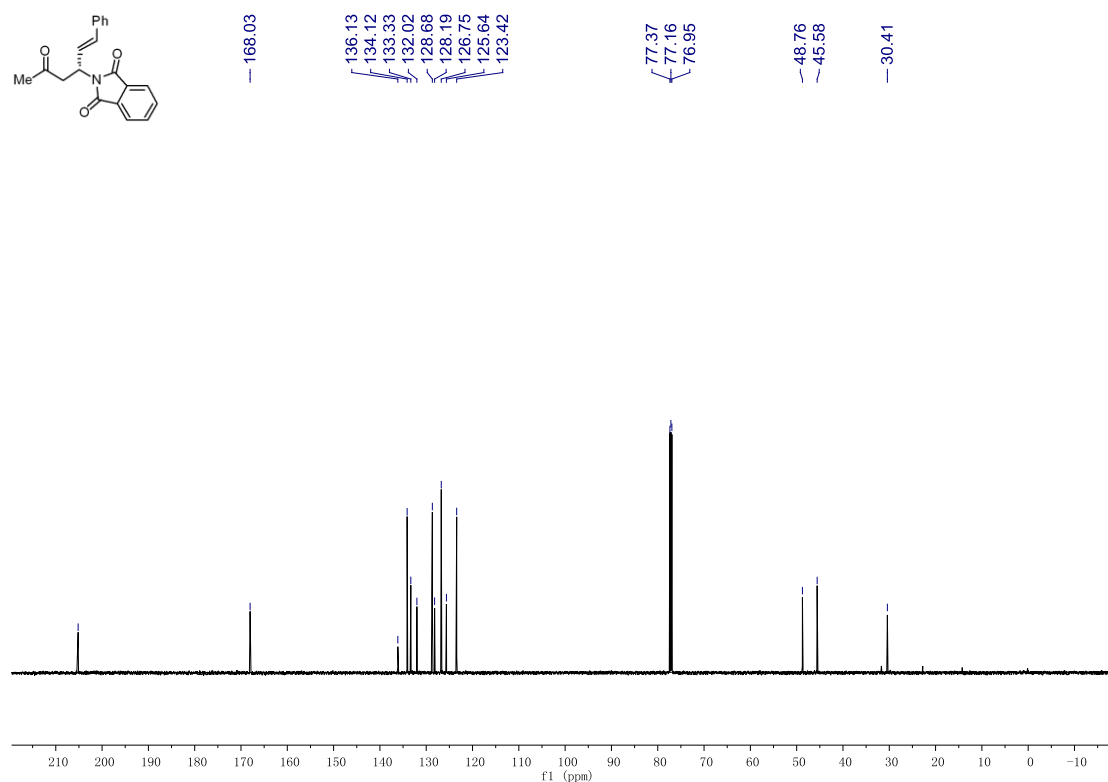


(R,E)-2-(5-oxo-1-phenylhex-1-en-3-yl)isoindoline-1,3-dione (3sa)

^1H NMR (600 MHz, CDCl_3)

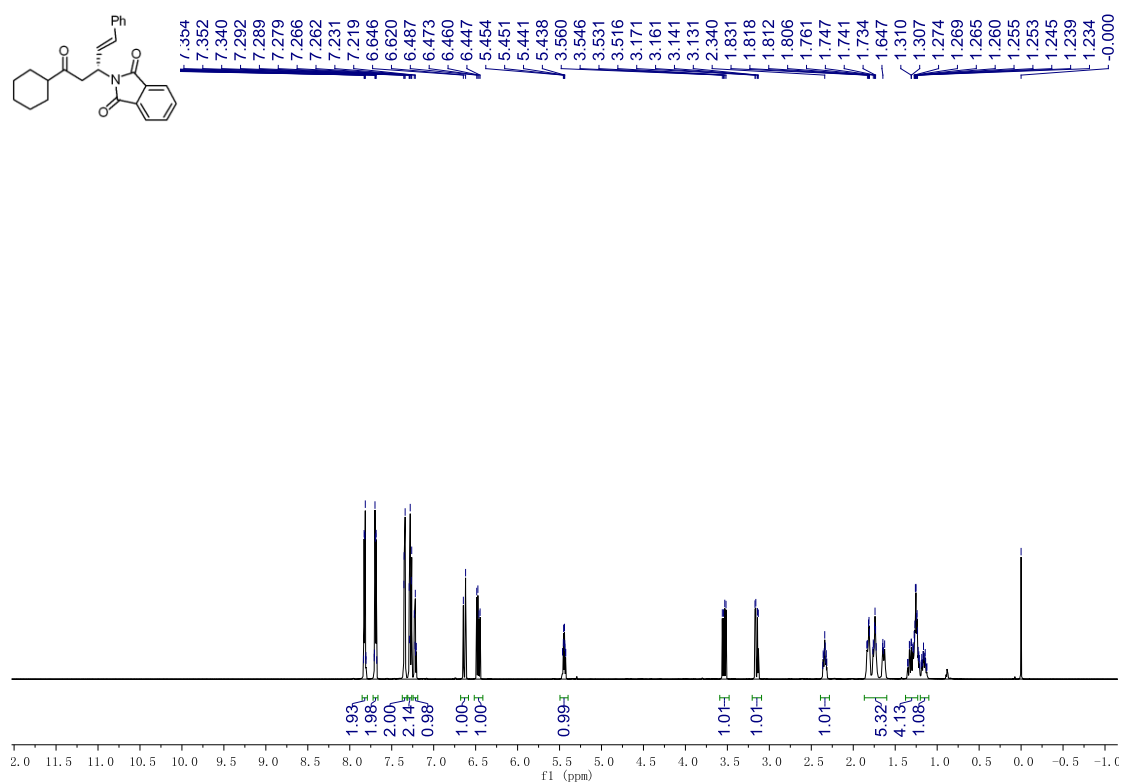


^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3)

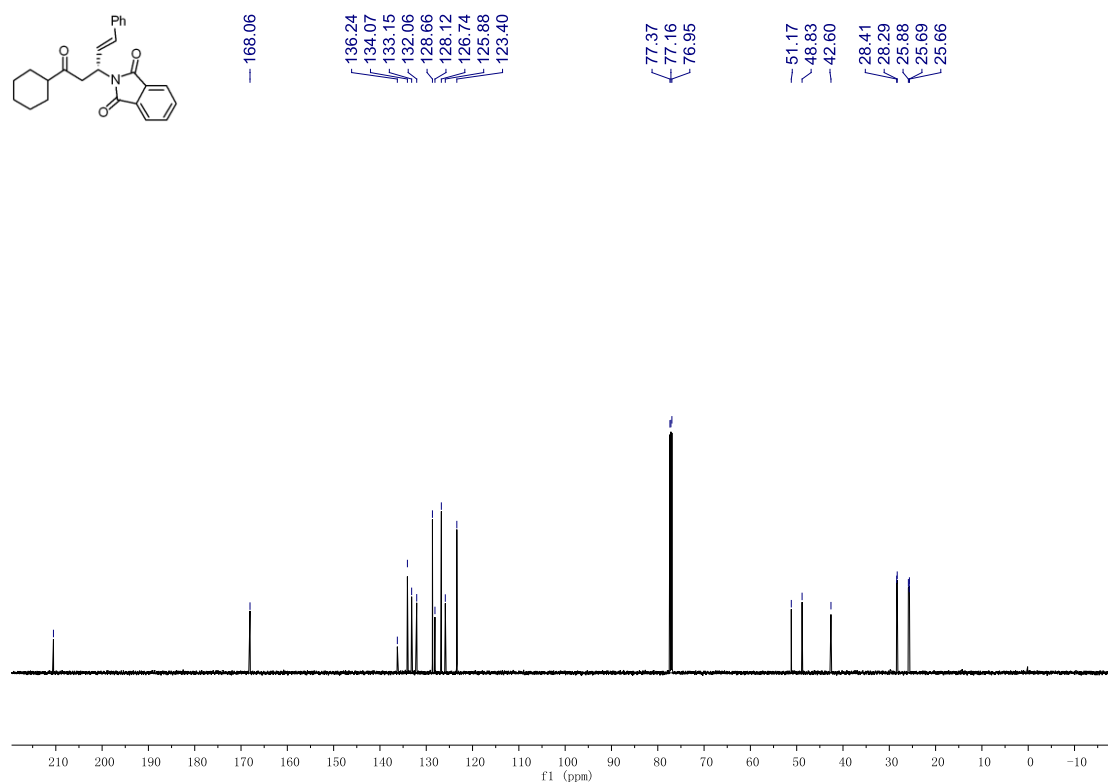


(R,E)-2-(5-cyclohexyl-5-oxo-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ta)

^1H NMR (600 MHz, CDCl_3)

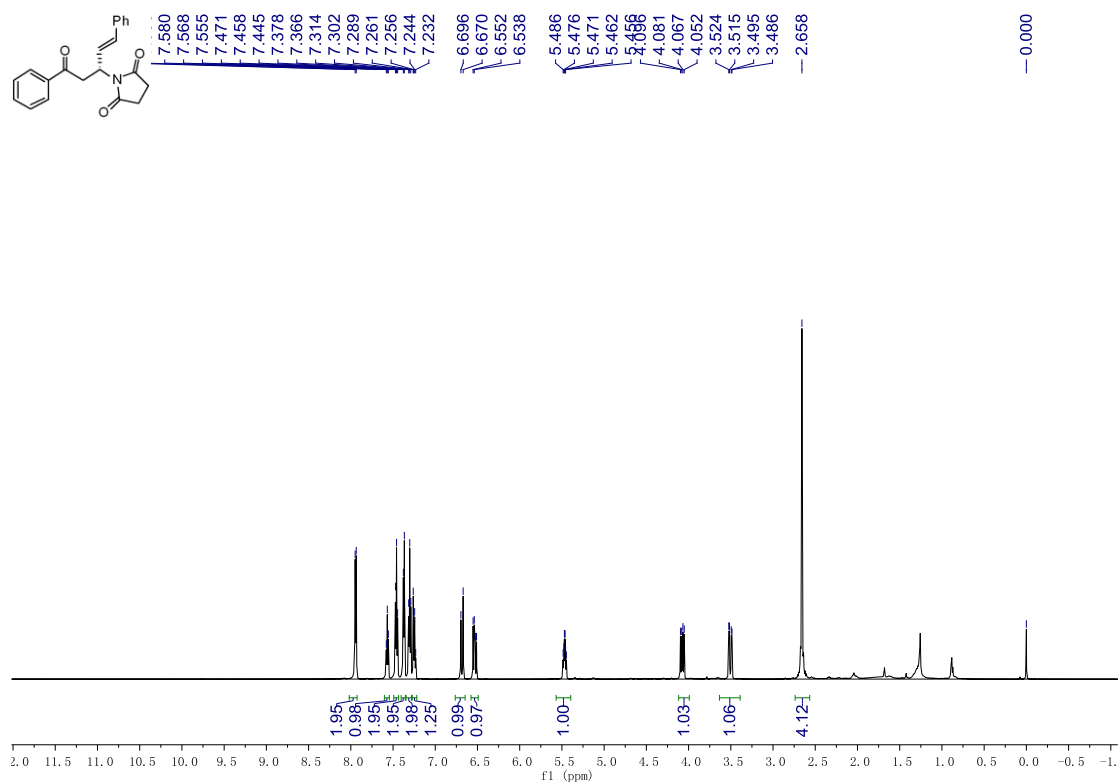


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

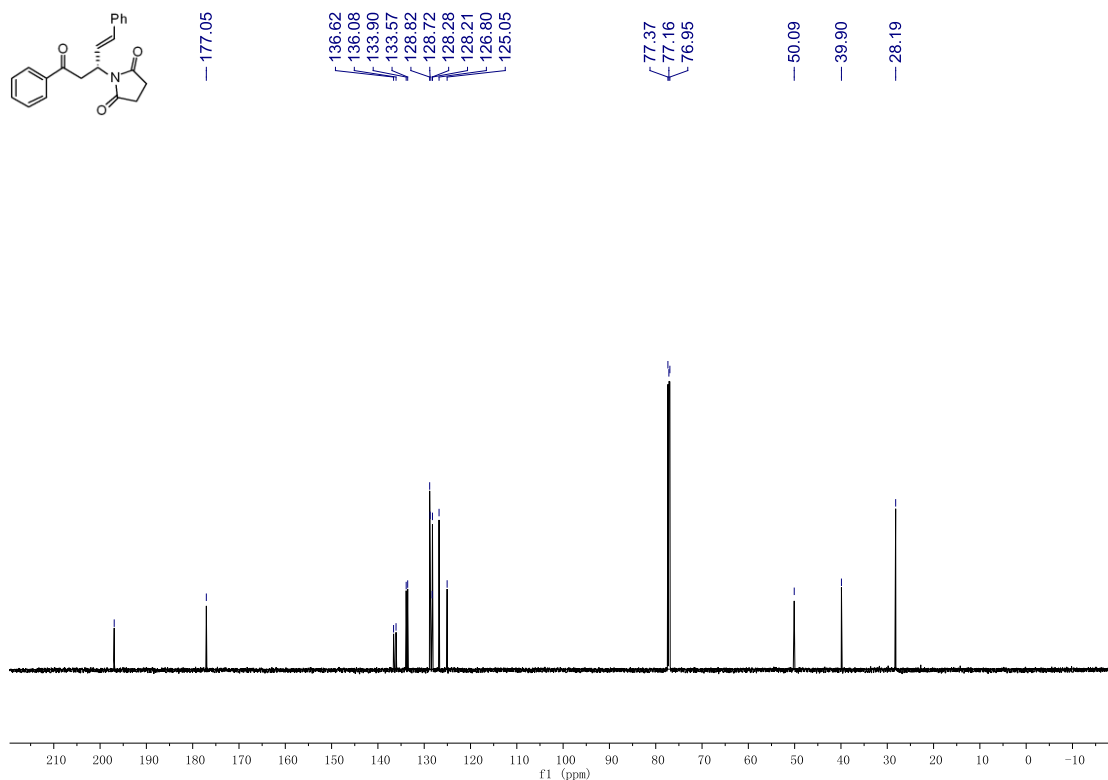


(R,E)-1-(5-oxo-1,5-diphenylpent-1-en-3-yl)pyrrolidine-2,5-dione (3ua)

^1H NMR (600 MHz, CDCl_3)

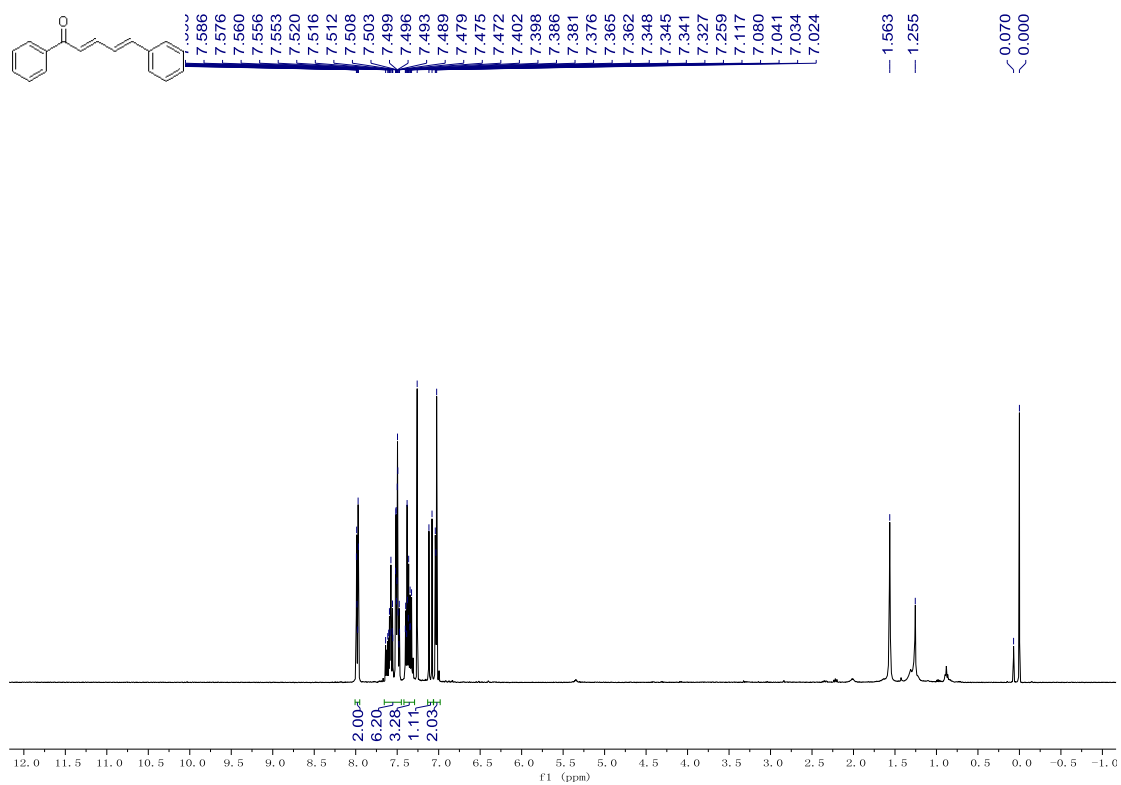


$^{13}\text{C} \{^1\text{H}\}$ NMR (150 MHz, CDCl_3)



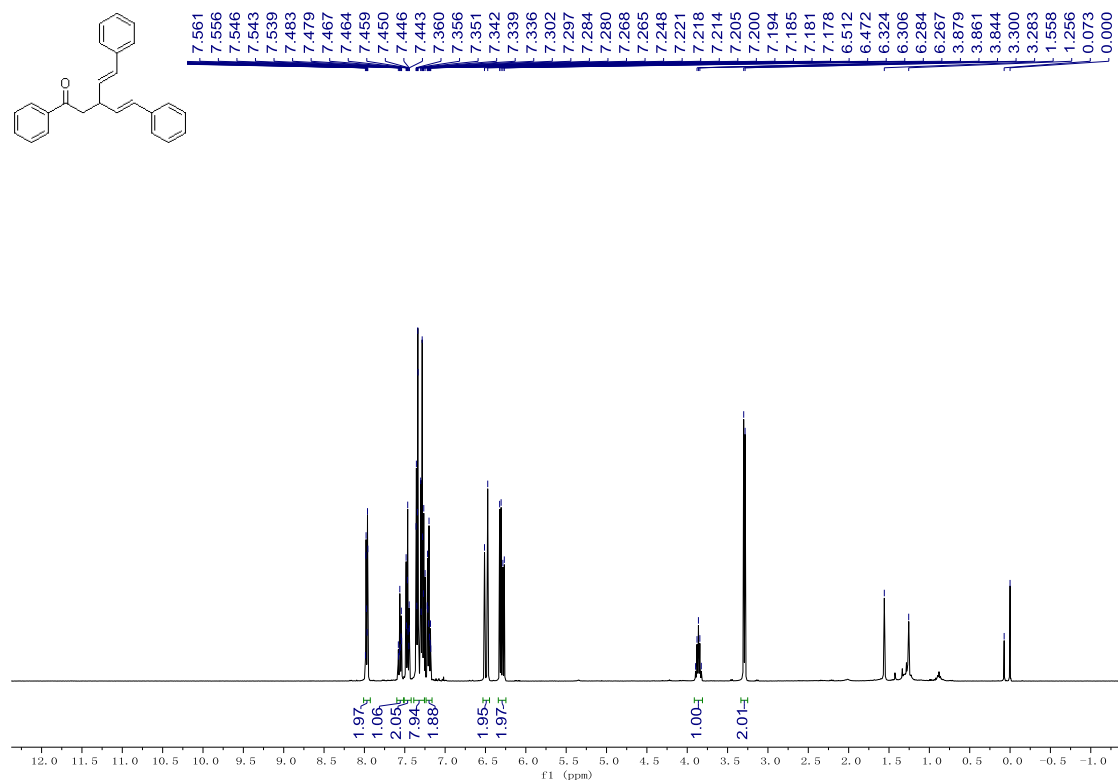
(2E,4E)-1,5-diphenylpenta-2,4-dien-1-one (4)

^1H NMR (400 MHz, CDCl_3)



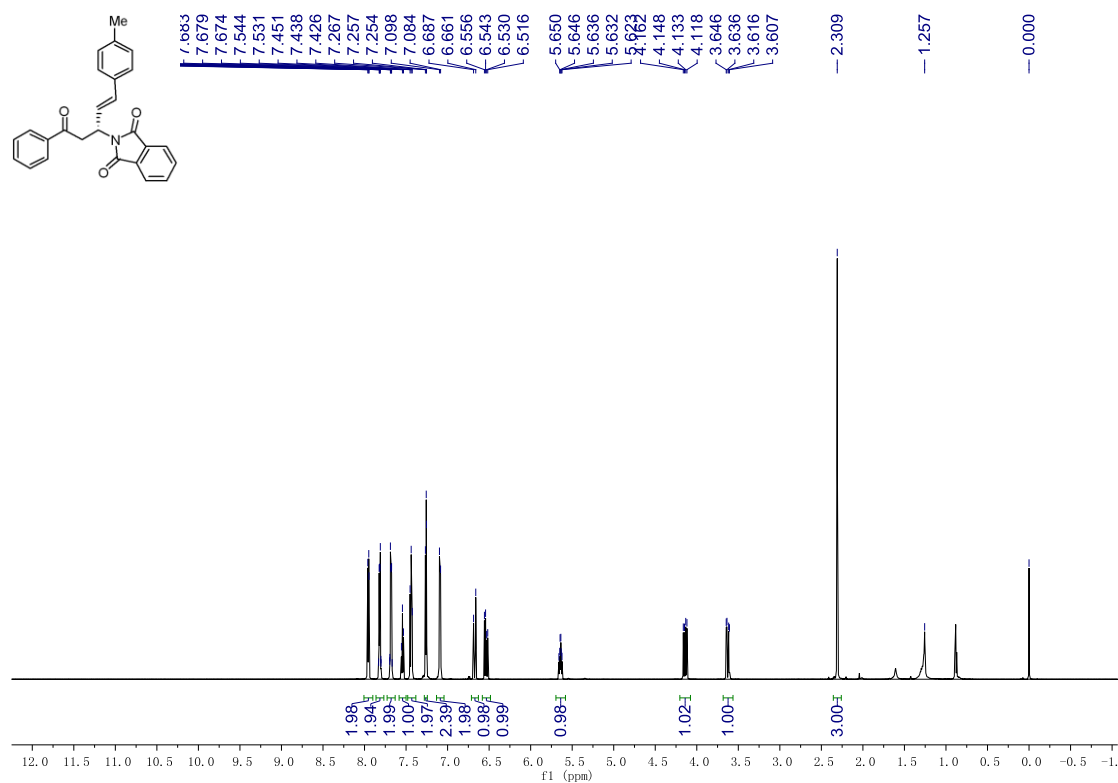
(E)-1,5-diphenyl-3-((E)-styryl)pent-4-en-1-one (5)

¹H NMR (400 MHz, CDCl₃)

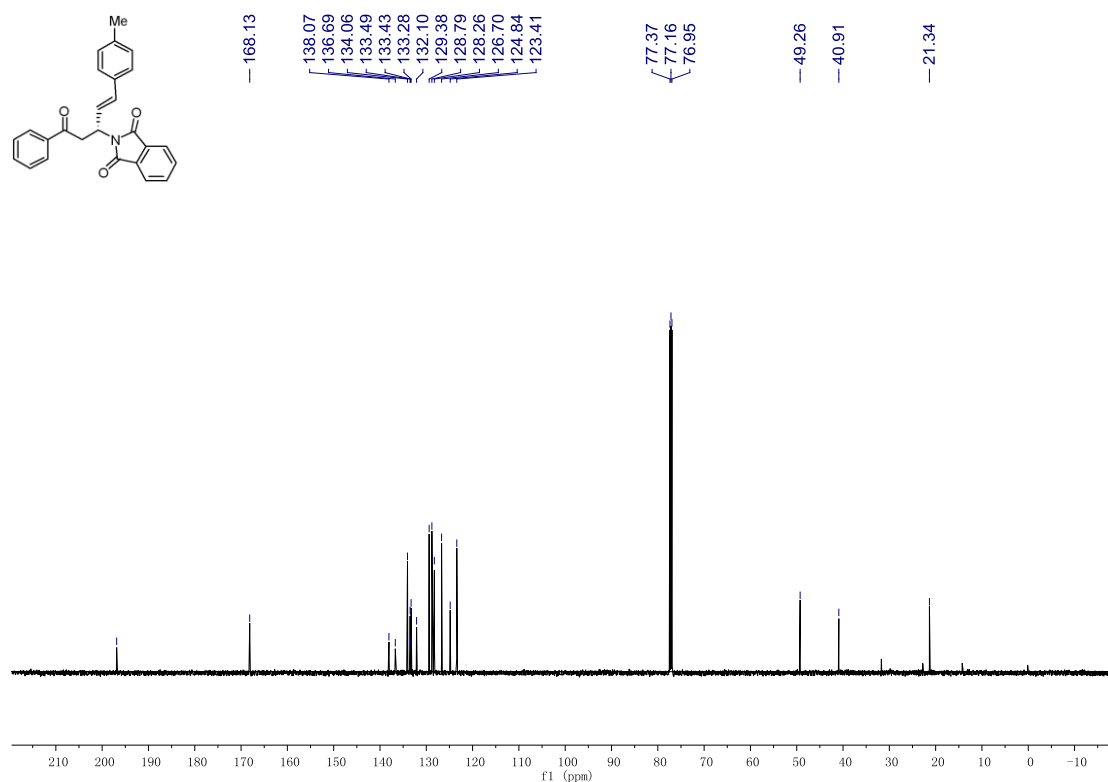


(R,E)-2-(5-oxo-5-phenyl-1-(p-tolyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ab)

¹H NMR (600 MHz, CDCl₃)

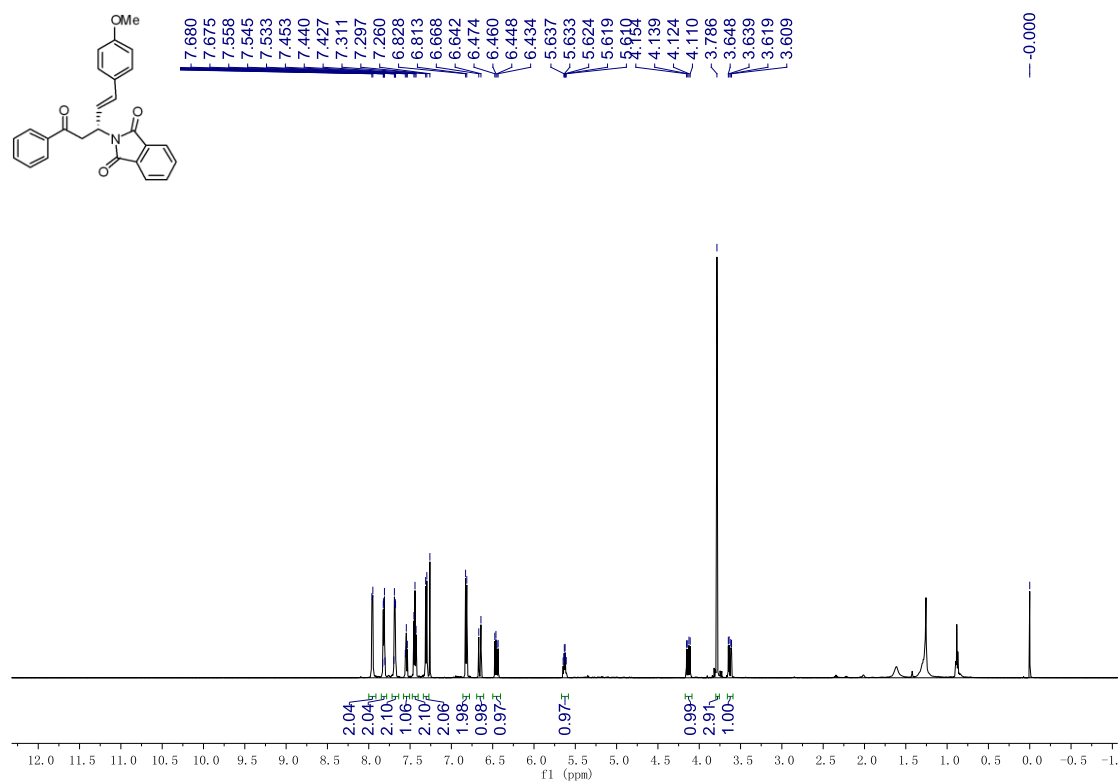


^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3)

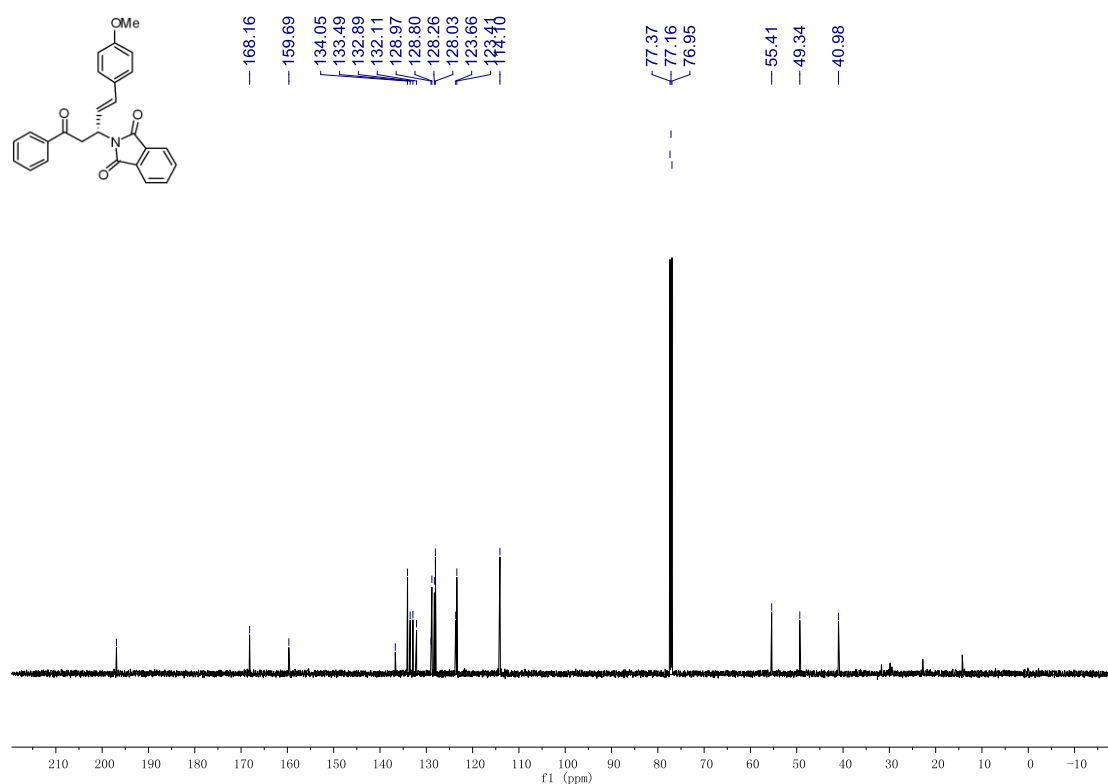


(R,E)-2-(1-(4-methoxyphenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ac)

^1H NMR (600 MHz, CDCl_3)

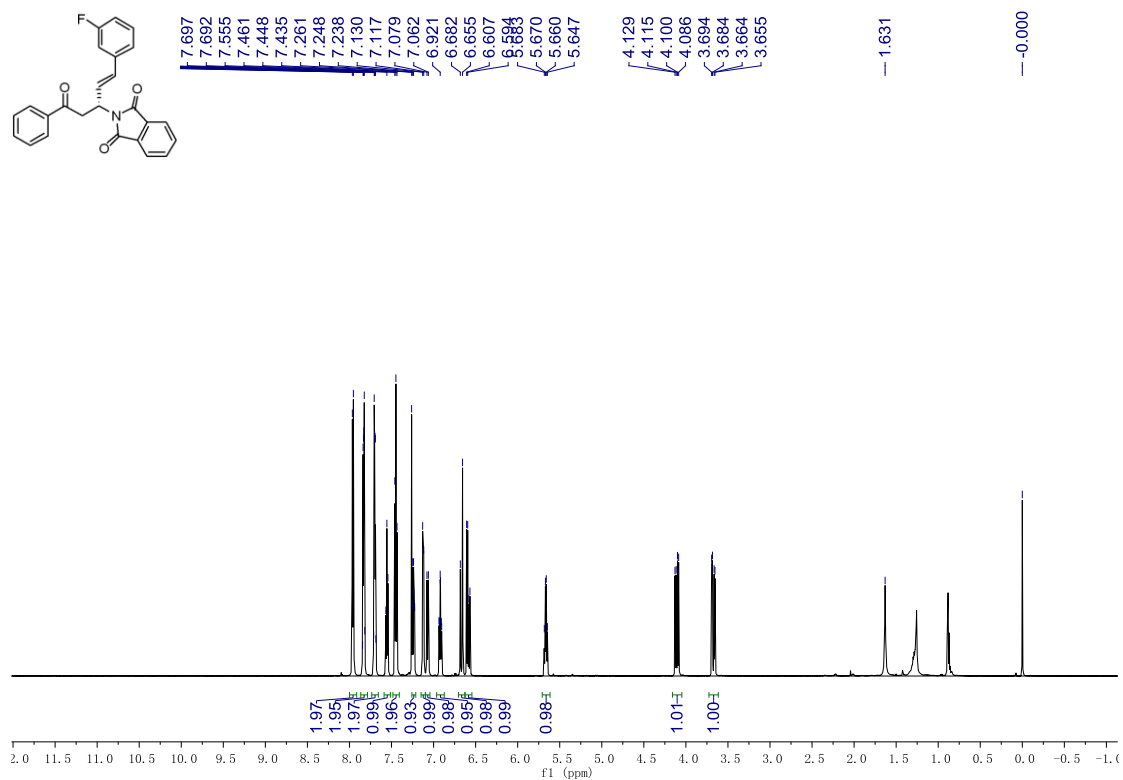


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

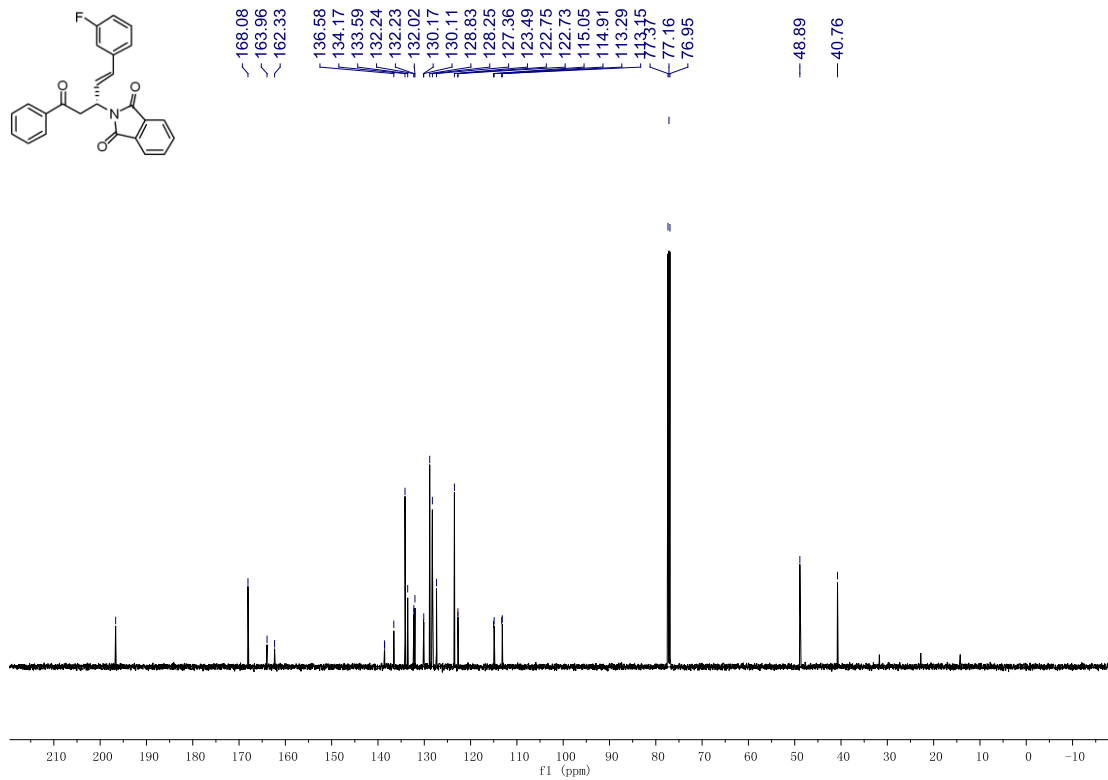


(*R,E*)-2-(1-(3-fluorophenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione
(3ad)

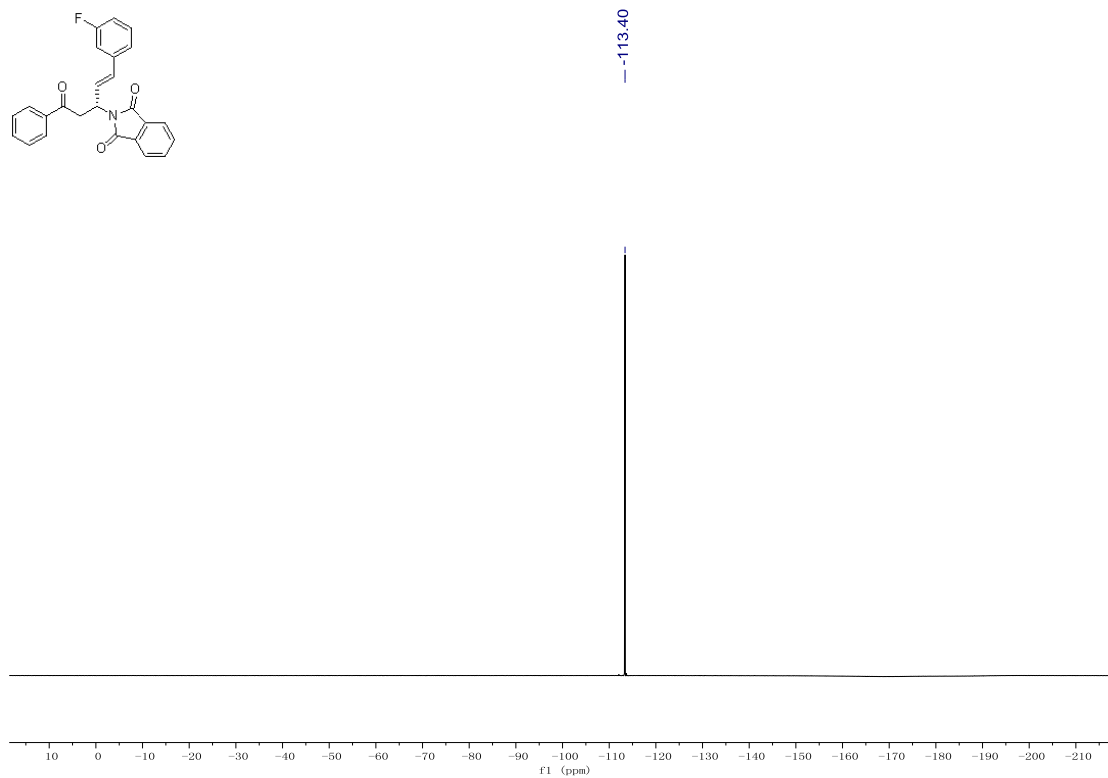
^1H NMR (600 MHz, CDCl_3)



$^{13}\text{C} \{^1\text{H}\}$ NMR (150 MHz, CDCl_3)

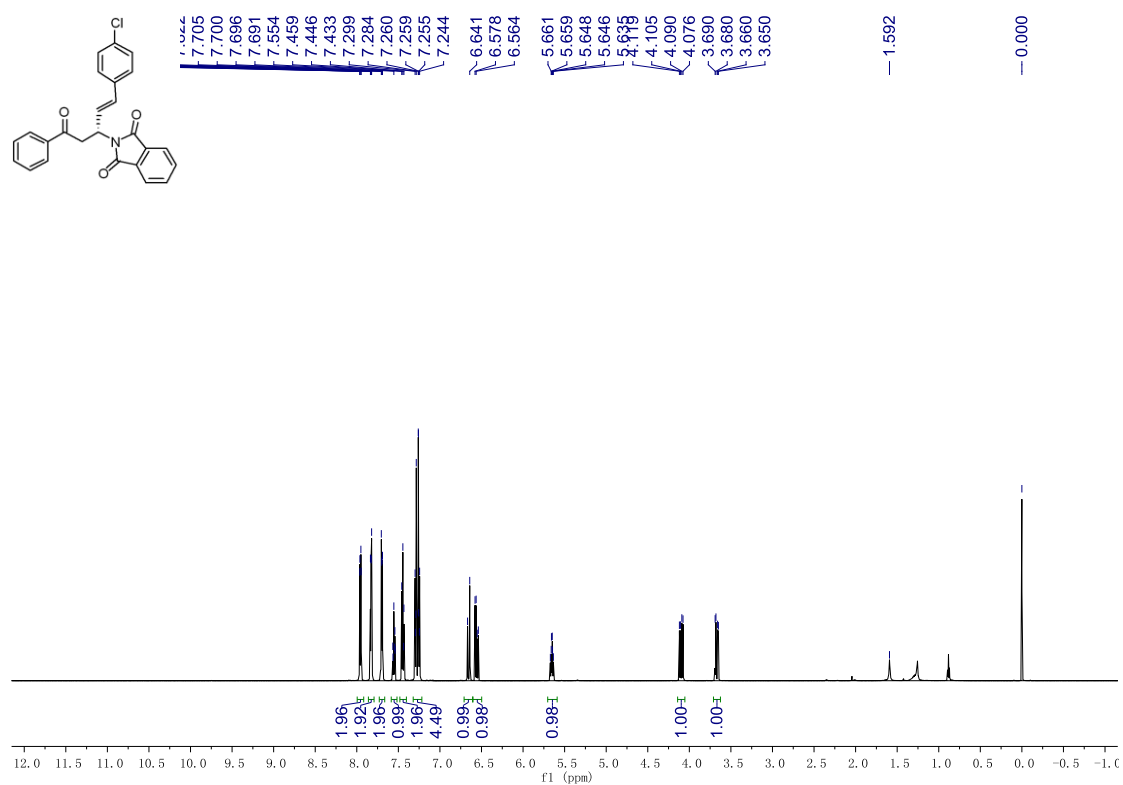


$^{19}\text{F} \{^1\text{H}\}$ NMR (564 MHz, CDCl_3)

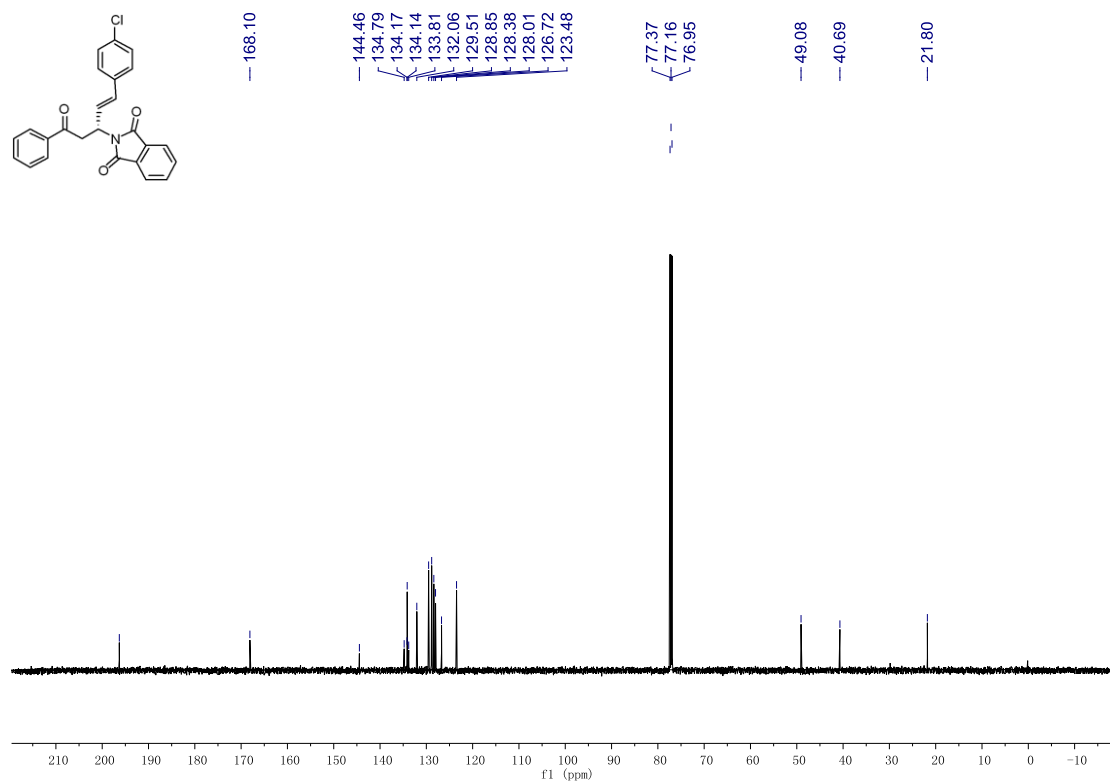


(*R,E*)-2-(1-(4-chlorophenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3ae)

¹H NMR (600 MHz, CDCl₃)

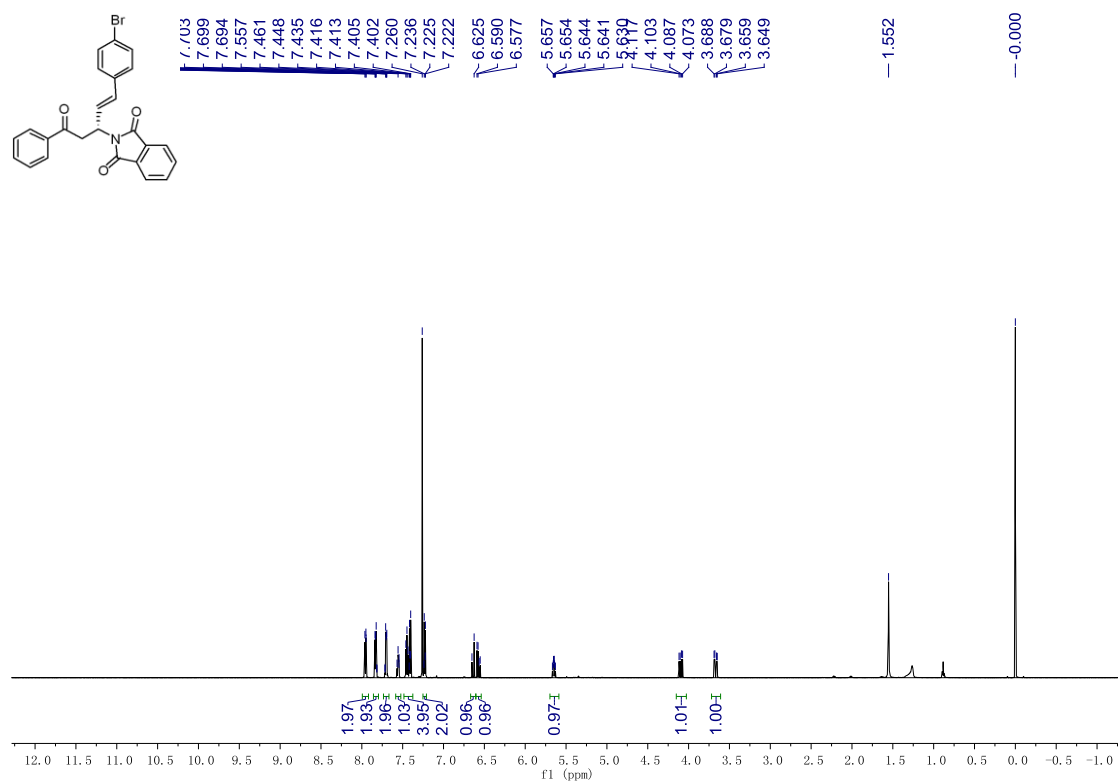


¹³C {¹H} NMR (150 MHz, CDCl₃)

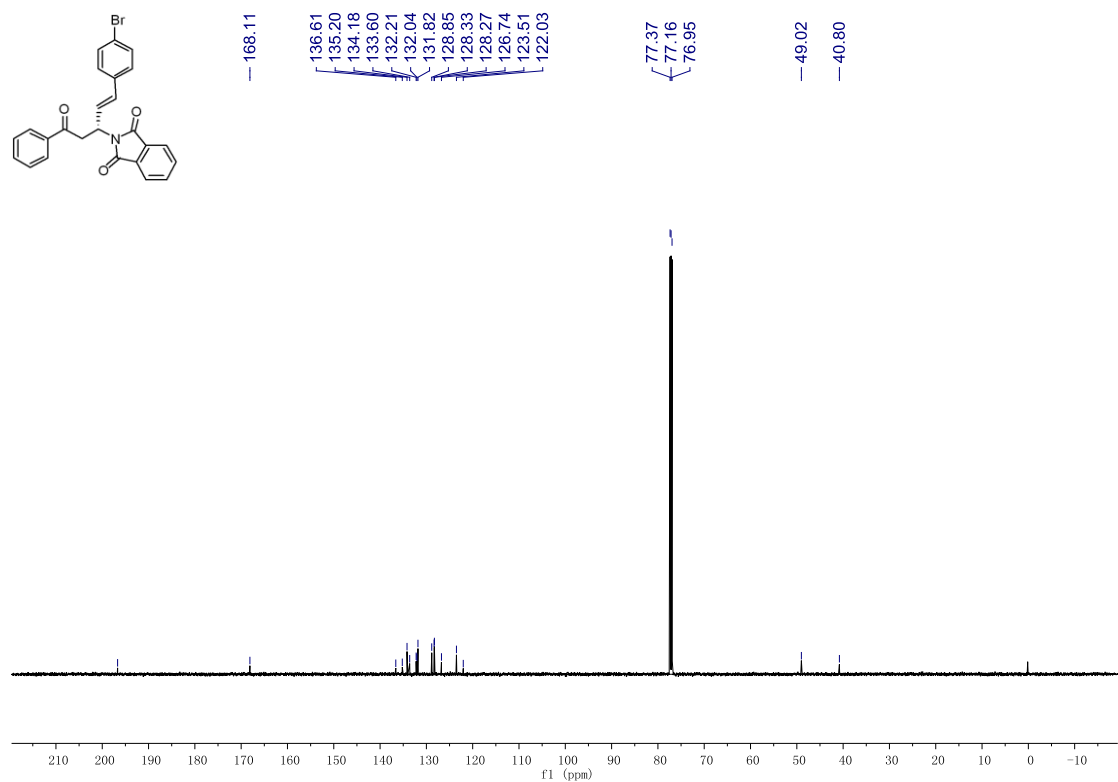


(*R,E*)-2-(1-(4-bromophenyl)-5-oxo-5-phenylpent-1-en-3-yl)isoindoline-1,3-dione (3af)

^1H NMR (600 MHz, CDCl_3)

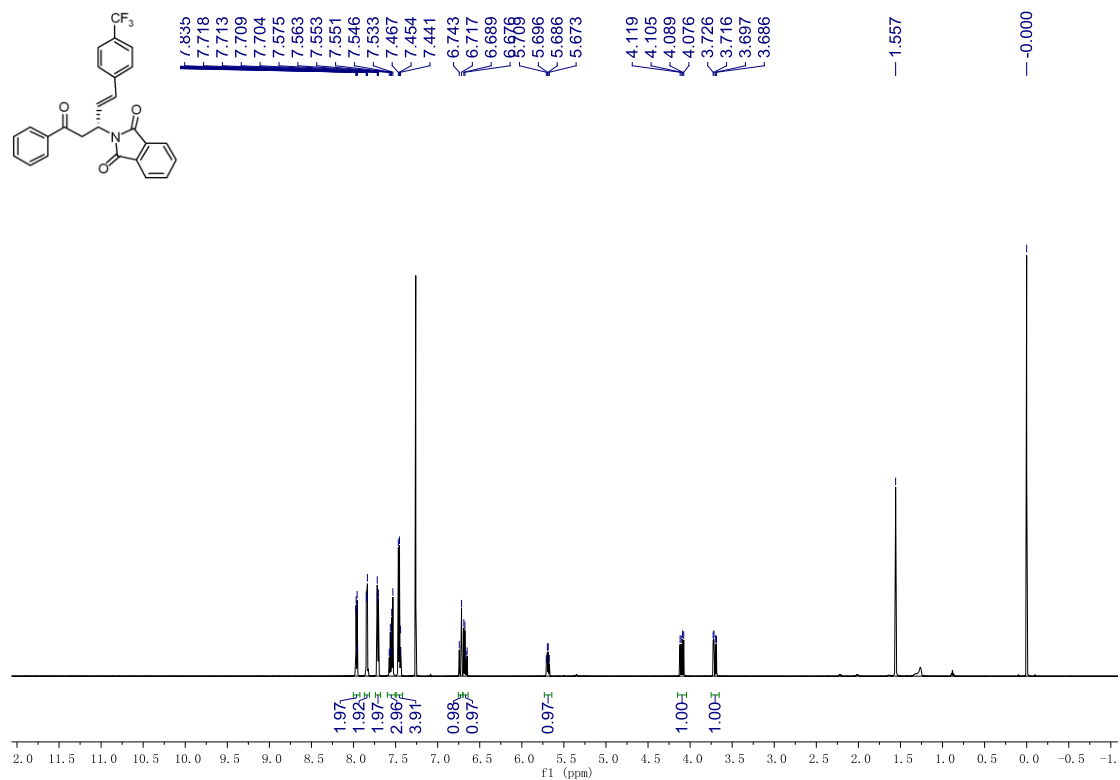


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

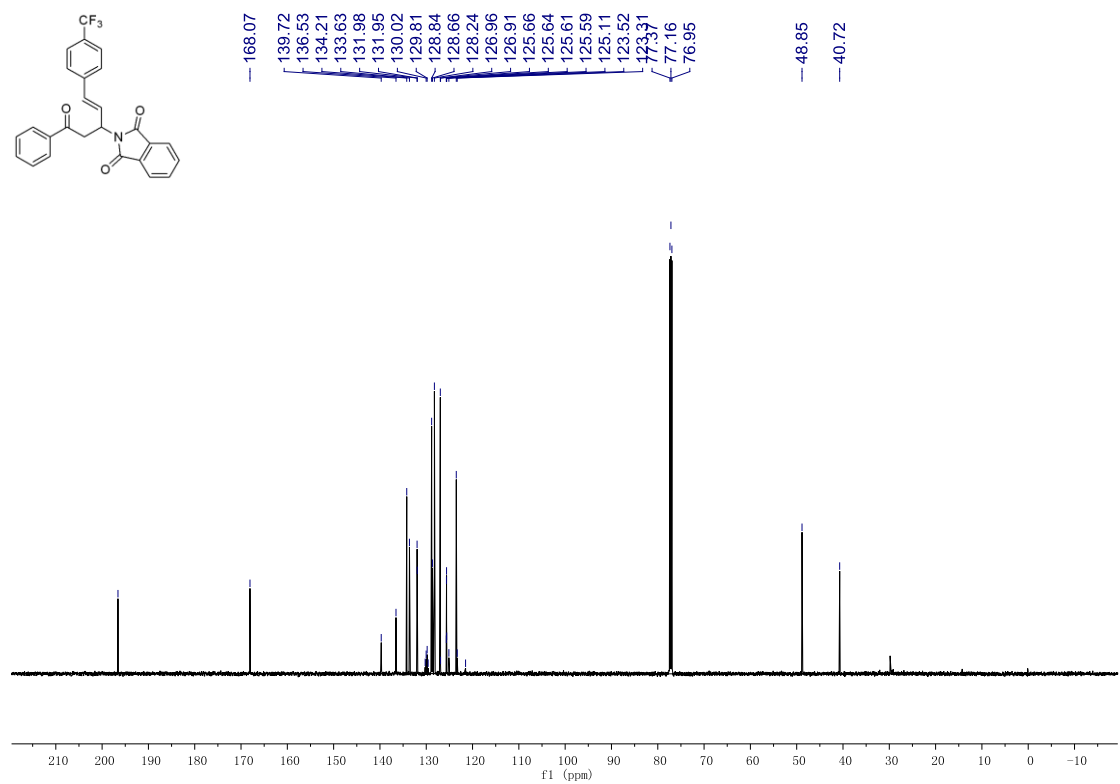


(*R,E*)-2-(5-oxo-5-phenyl-1-(4-(trifluoromethyl)phenyl)pent-1-en-3-yl)isoindoline-1,3-dione (3ag)

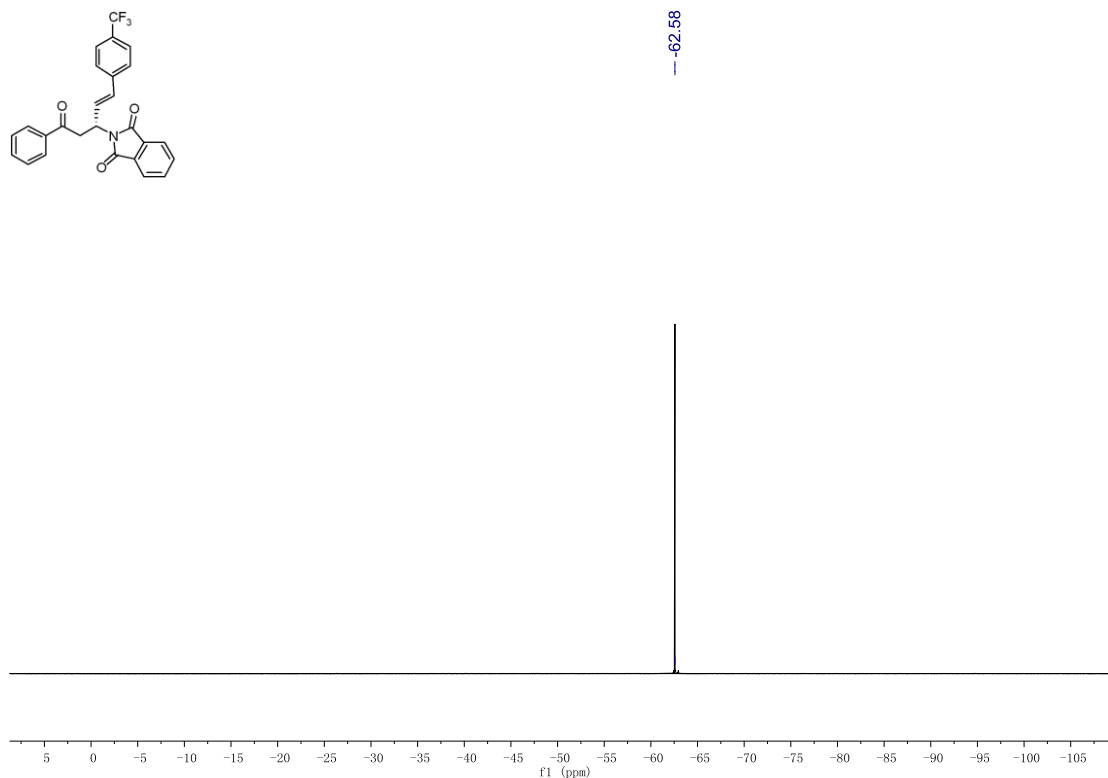
¹H NMR (600 MHz, CDCl₃)



¹³C {¹H} NMR (150 MHz, CDCl₃)

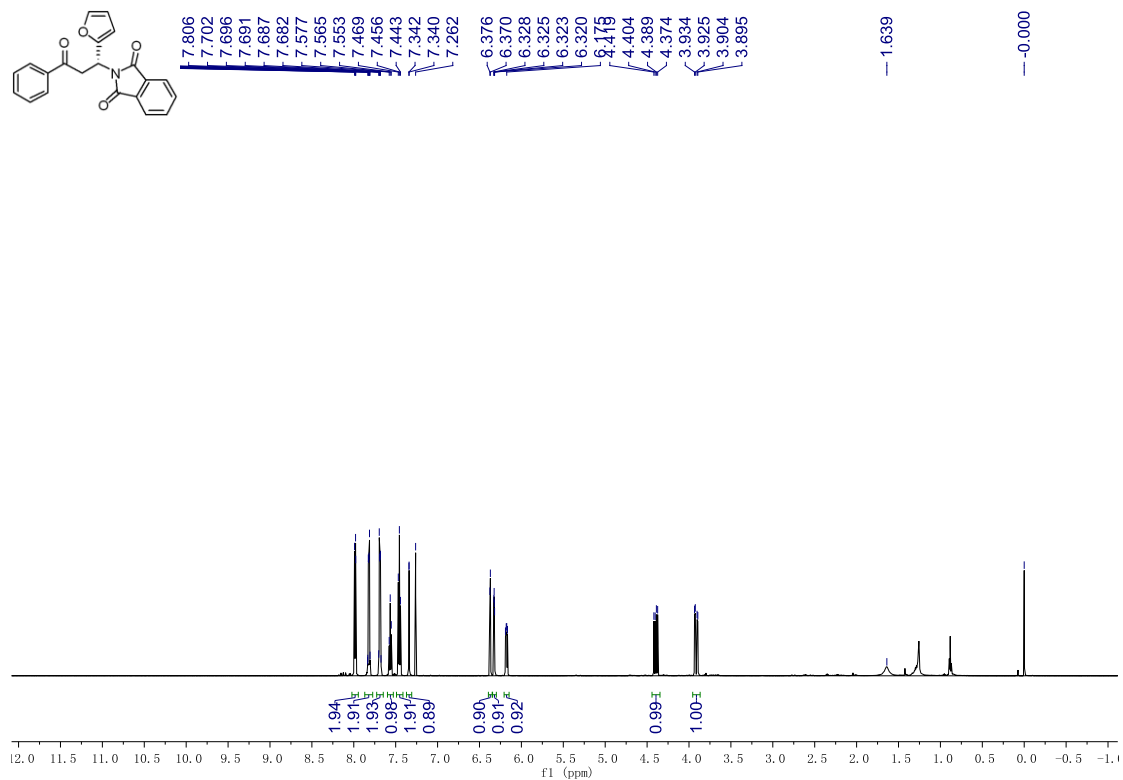


^{19}F $\{^1\text{H}\}$ NMR (564 MHz, CDCl_3)

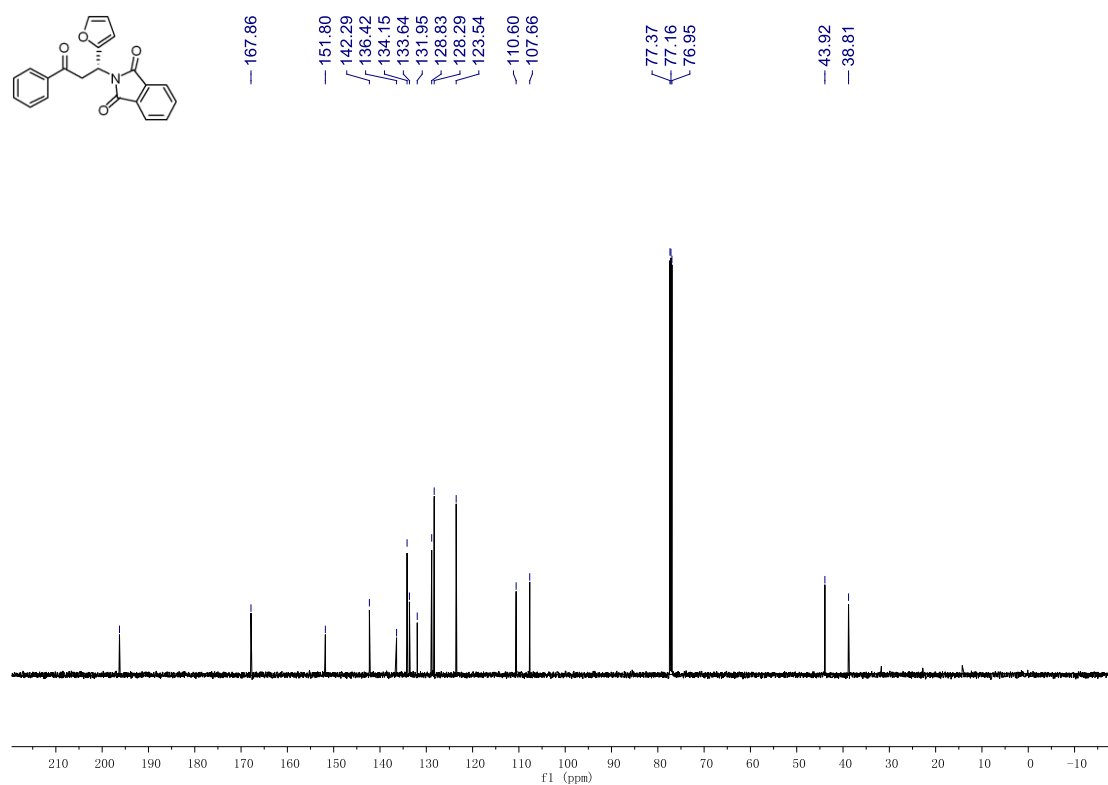


(R)-2-(1-(furan-2-yl)-3-oxo-3-phenylpropyl)isoindoline-1,3-dione (3ah)

^1H NMR (600 MHz, CDCl_3)

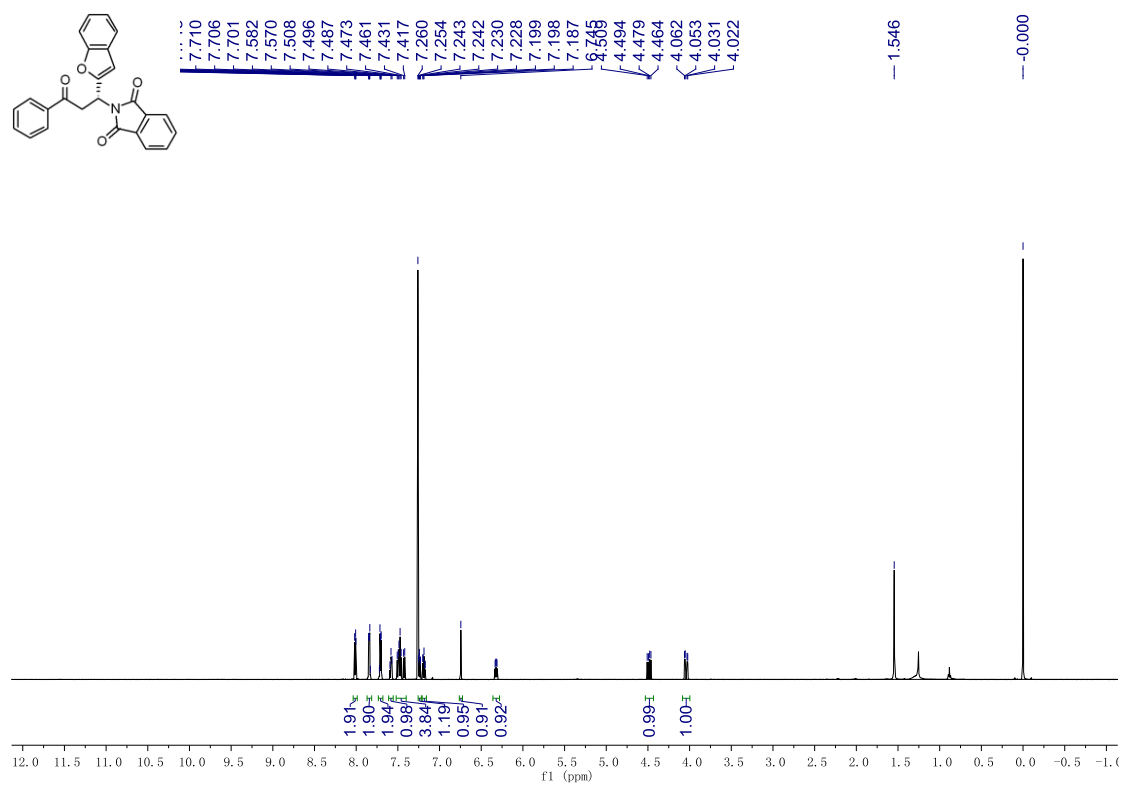


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

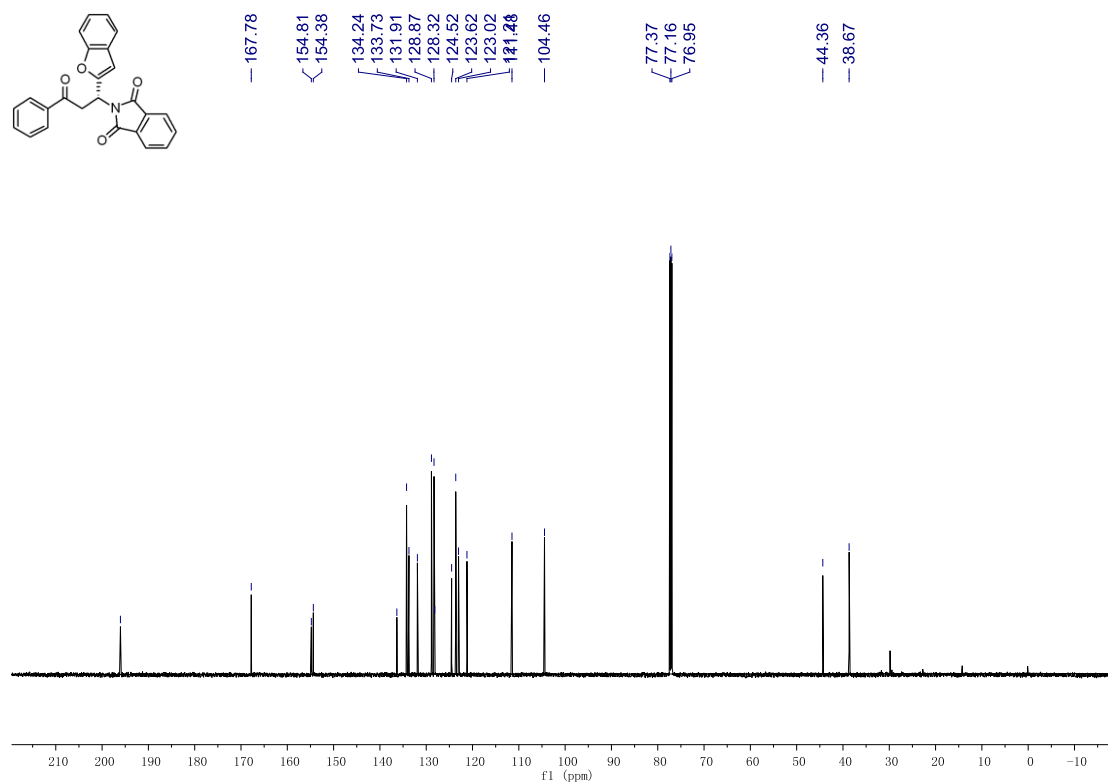


(R)-2-(1-(benzofuran-2-yl)-3-oxo-3-phenylpropyl)isoindoline-1,3-dione (3ai)

^1H NMR (600 MHz, CDCl_3)

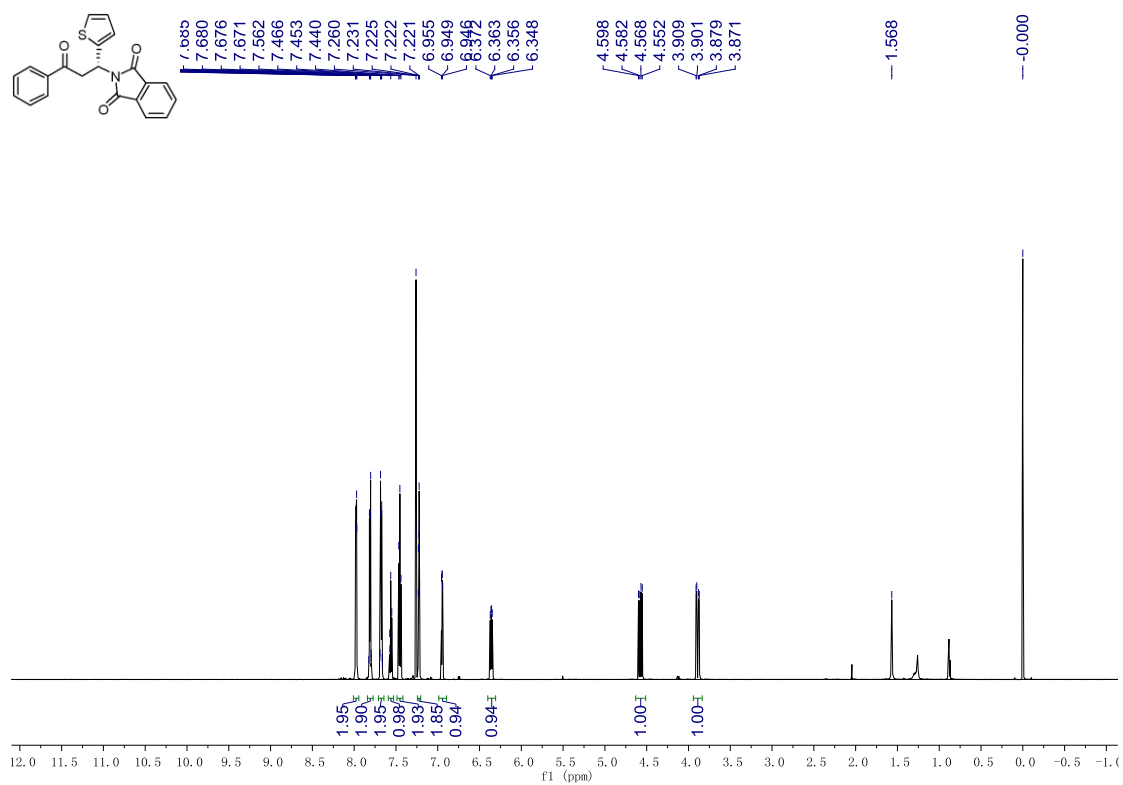


^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3)

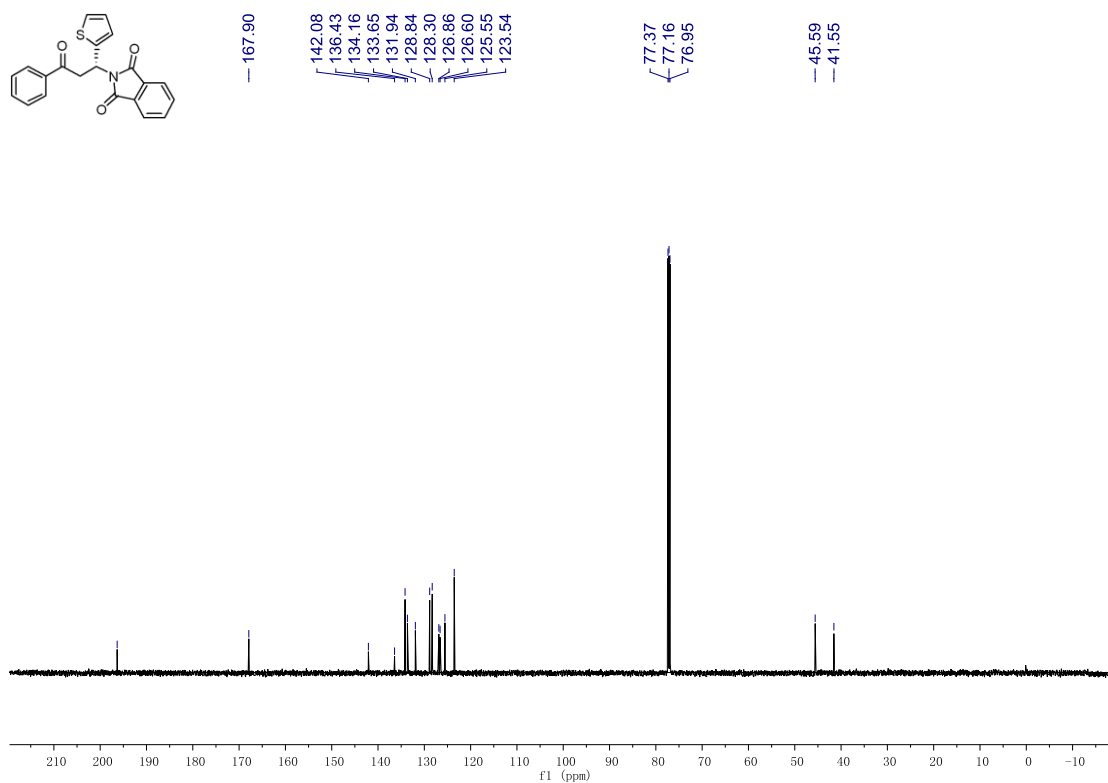


(R)-2-(3-oxo-3-phenyl-1-(thiophen-2-yl)propyl)isoindoline-1,3-dione (3aj)

^1H NMR (600 MHz, CDCl_3)

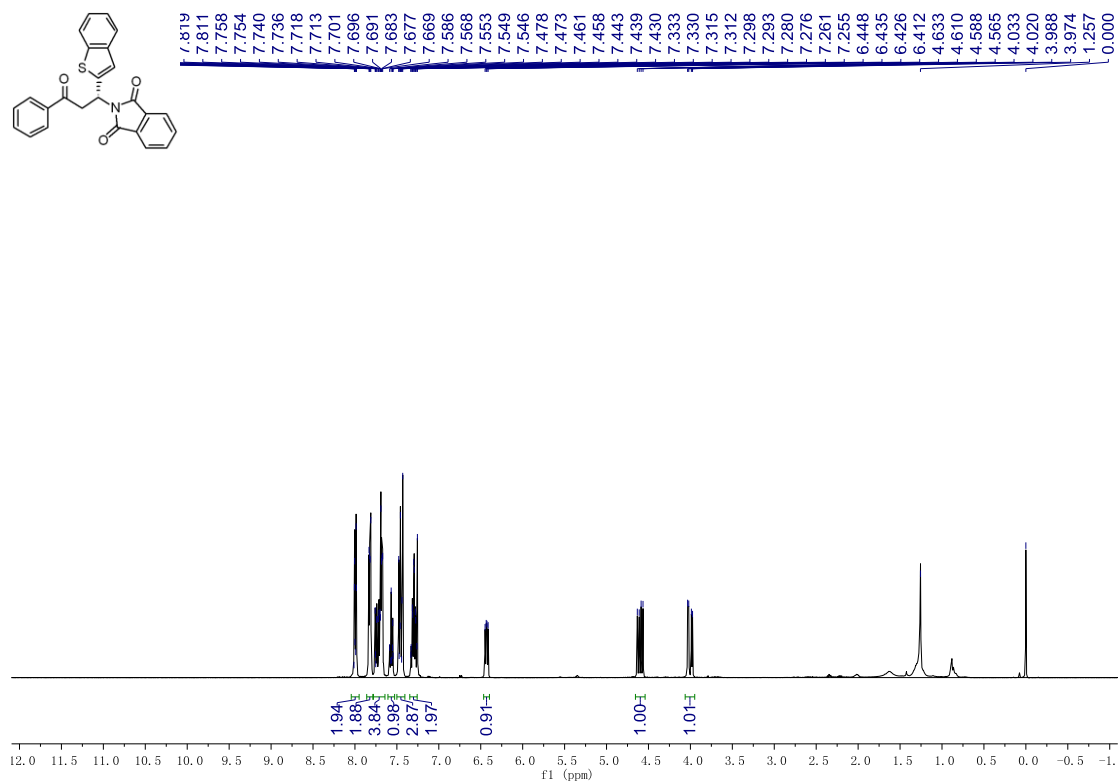


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

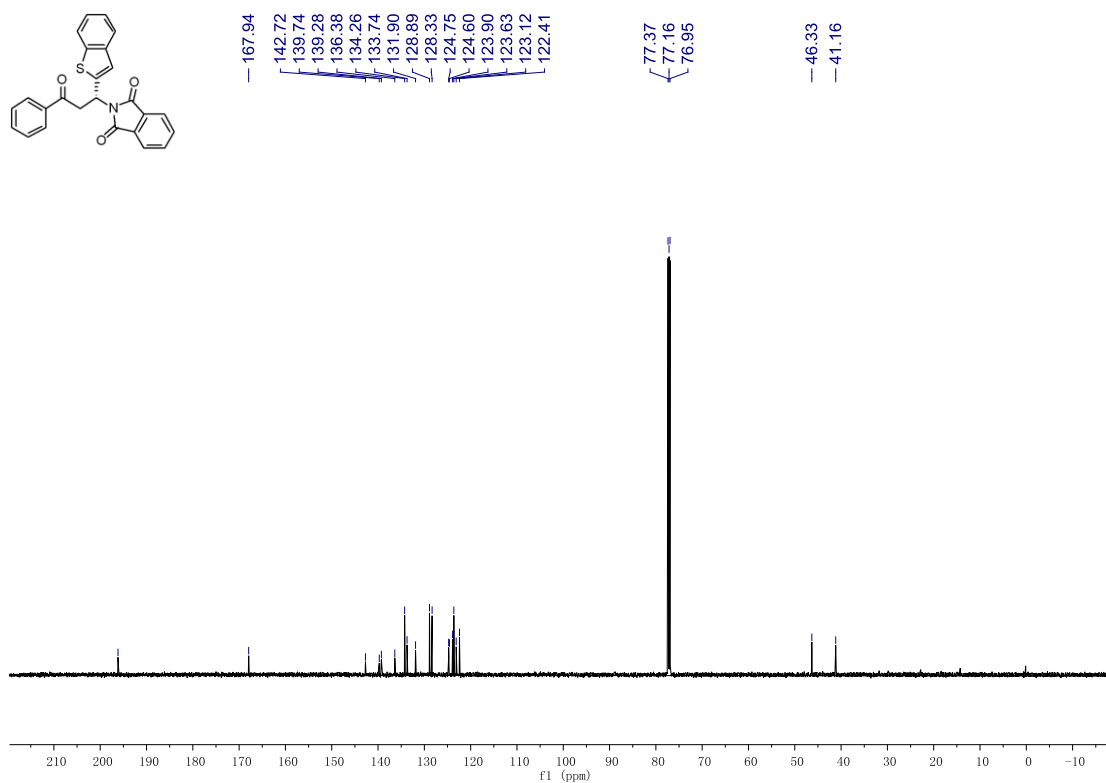


(R)-2-(1-(benzo[*b*]thiophen-2-yl)-3-oxo-3-phenylpropyl)isoindoline-1,3-dione (3ak)

^1H NMR (400 MHz, CDCl_3)

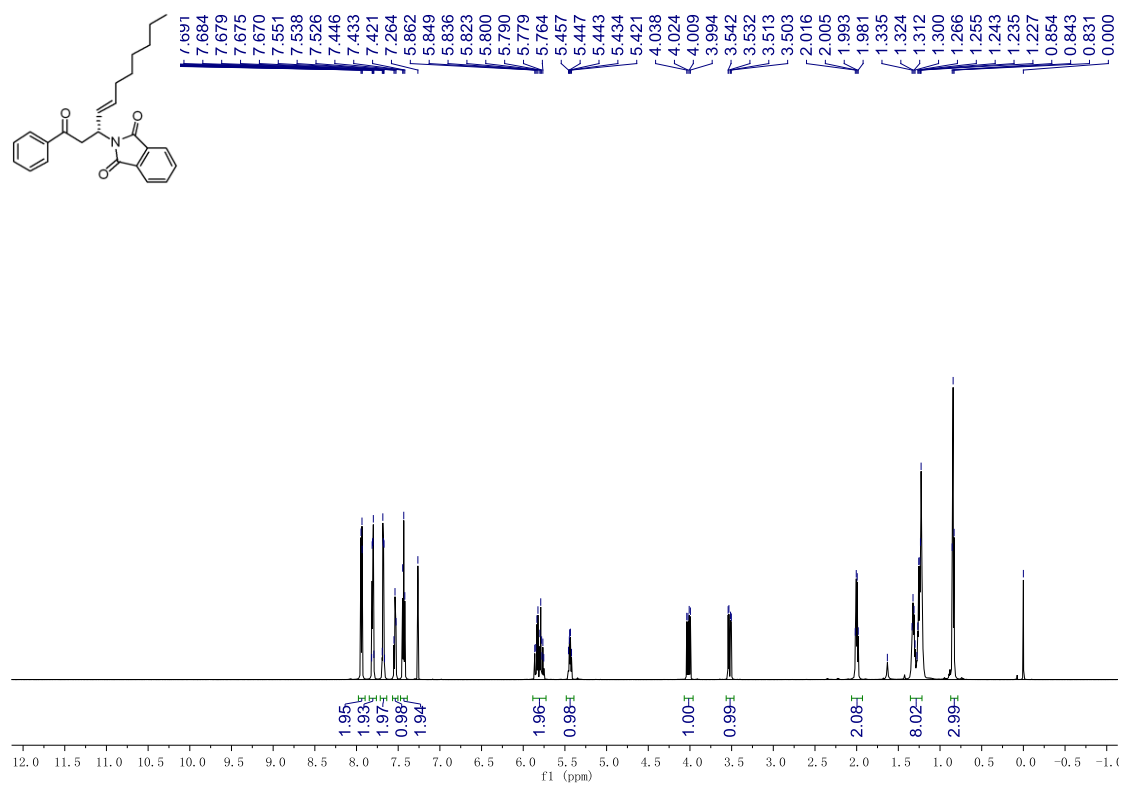


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

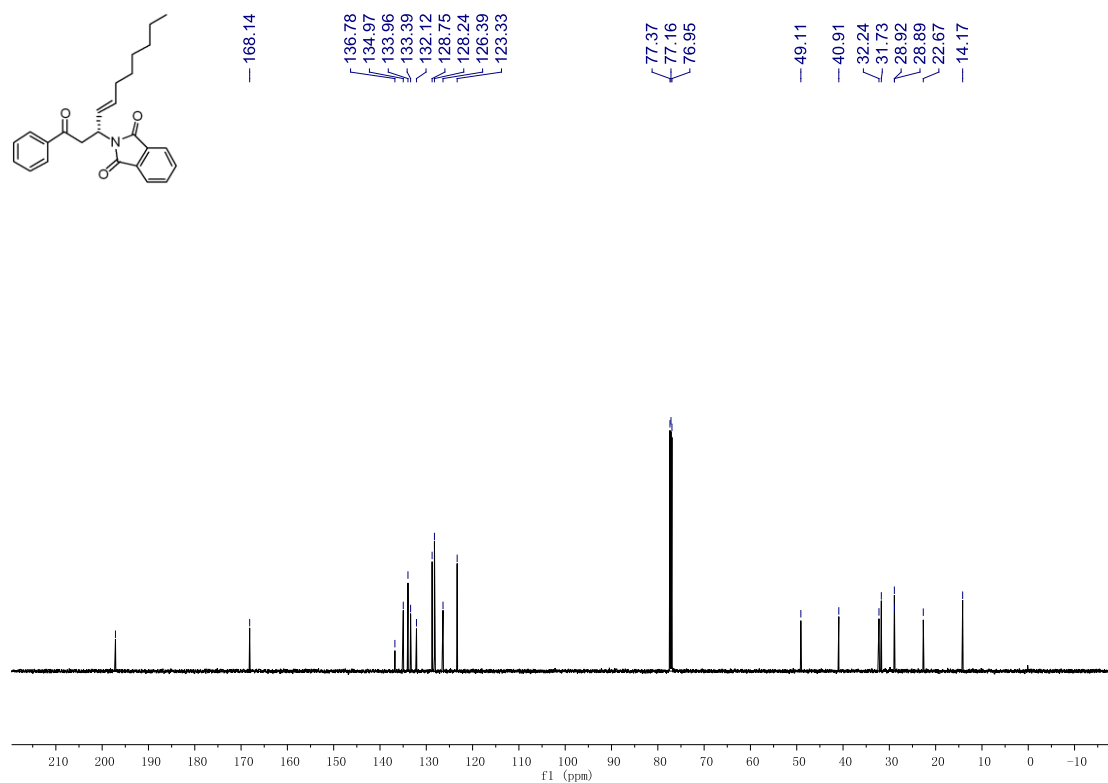


(R,E)-2-(1-oxo-1-phenylundec-4-en-3-yl)isoindoline-1,3-dione (3a)

^1H NMR (600 MHz, CDCl_3)

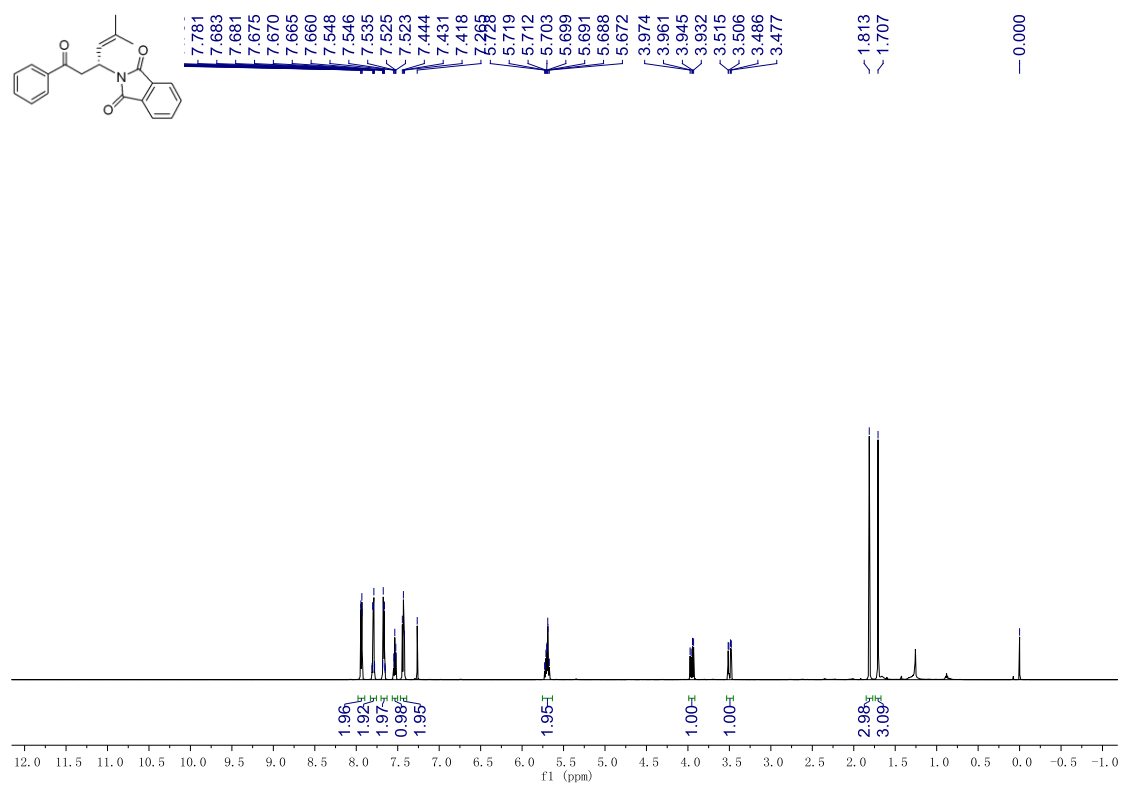


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

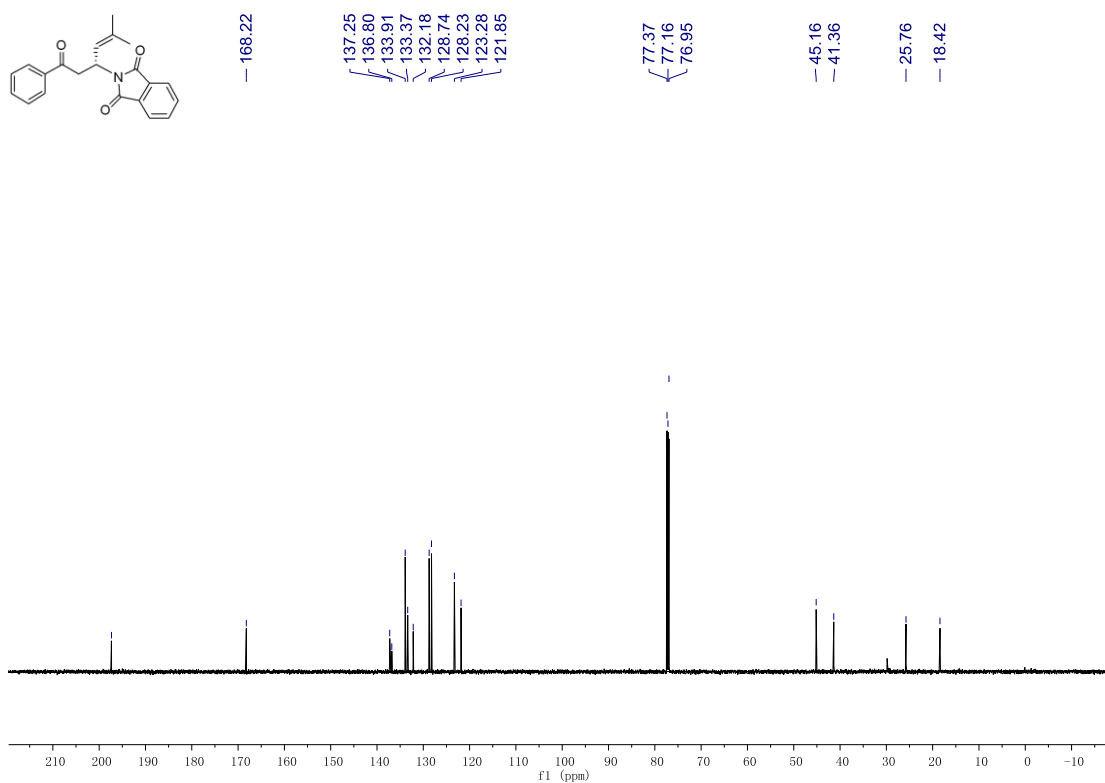


(R)-2-(5-methyl-1-oxo-1-phenylhex-4-en-3-yl)isoindoline-1,3-dione (3am)

^1H NMR (600 MHz, CDCl_3)

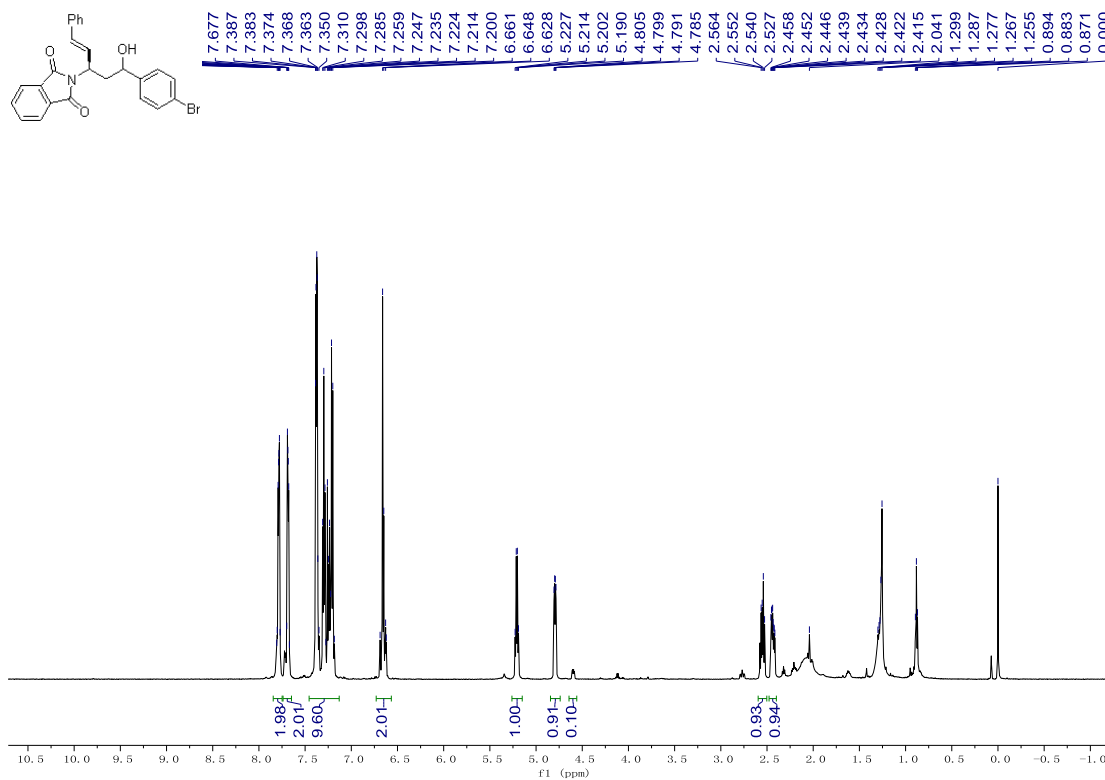


^{13}C { ^1H } NMR (150 MHz, CDCl_3)

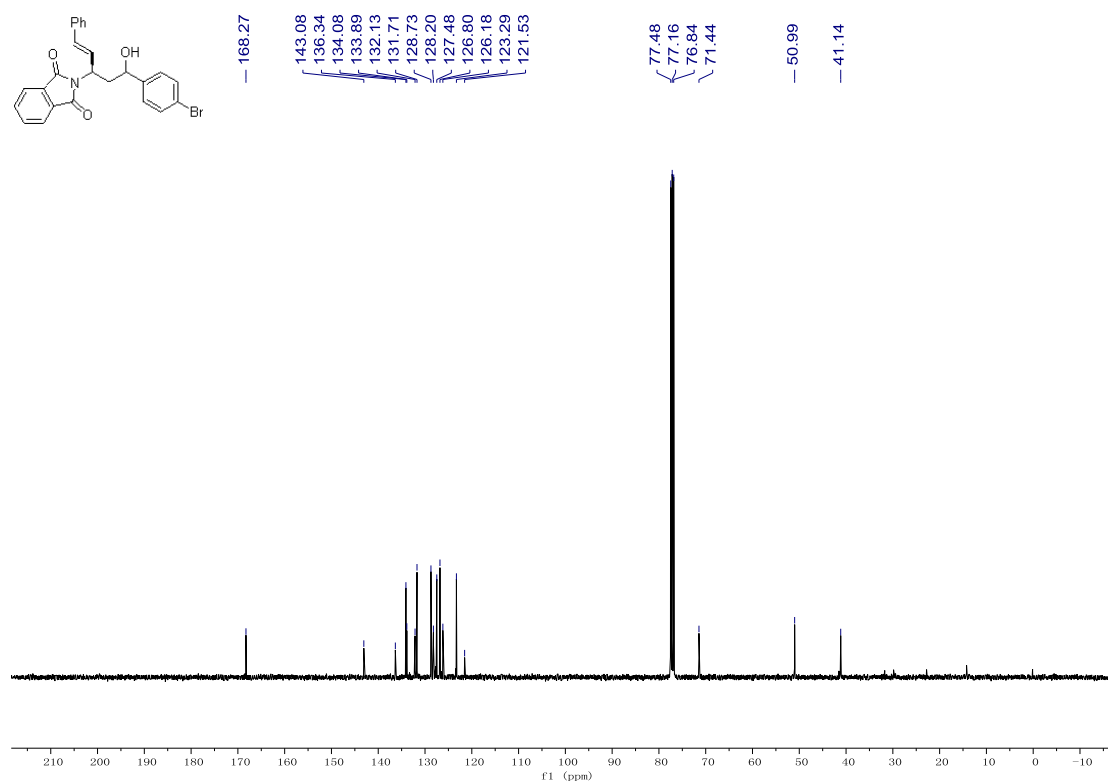


2-((3R,E)-5-(4-bromophenyl)-5-hydroxy-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (4+4') (9:1 dr)

^1H NMR (400 MHz, CDCl_3)

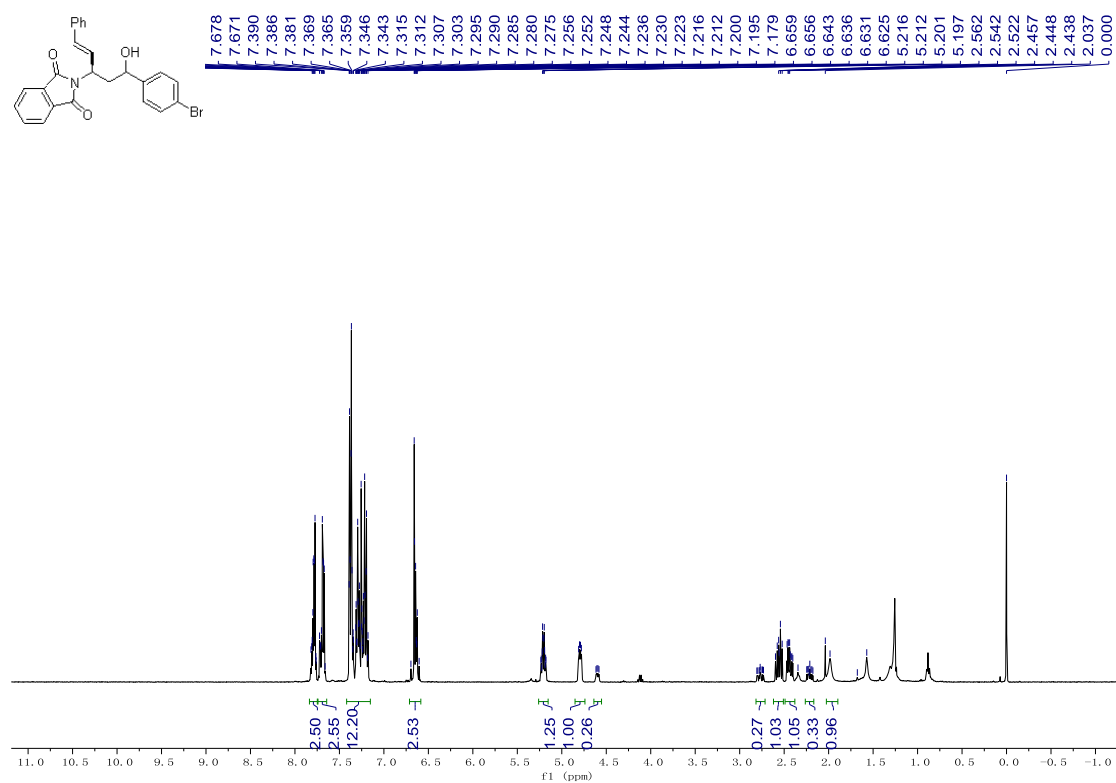


^{13}C { ^1H } NMR (100 MHz, CDCl_3)

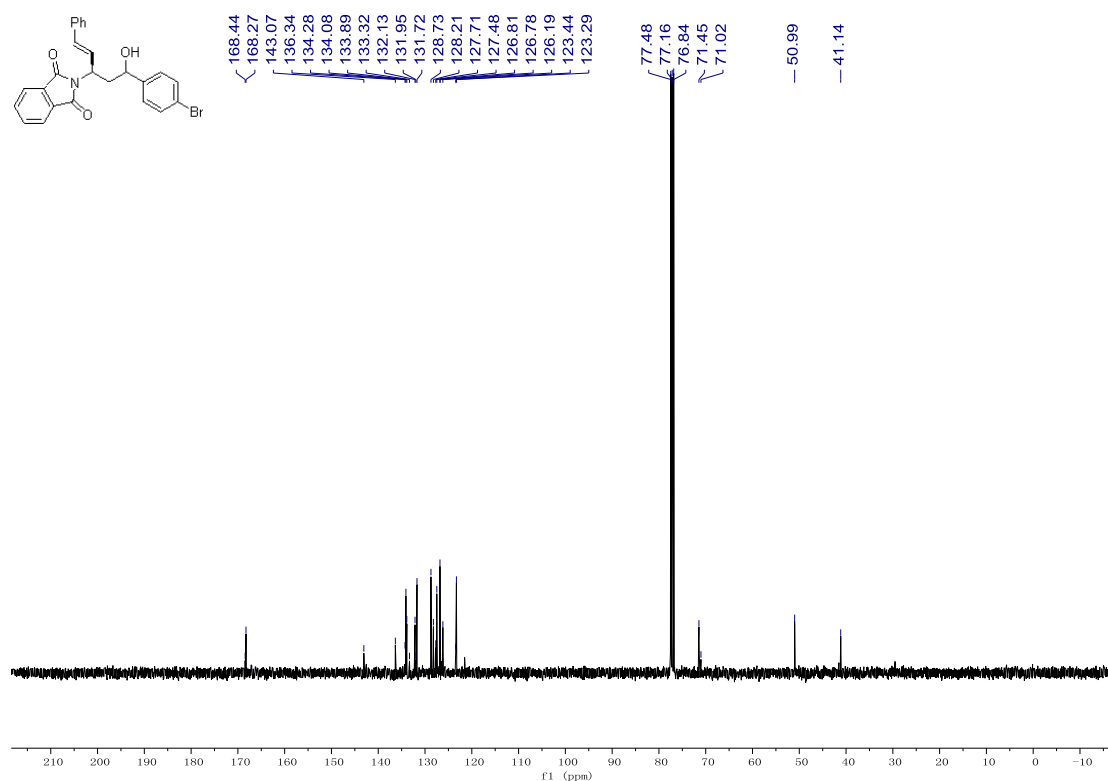


2-((3R,E)-5-(4-bromophenyl)-5-hydroxy-1-phenylpent-1-en-3-yl)isoindoline-1,3-dione (4+4') (4:1 *dr*)

^1H NMR (400 MHz, CDCl_3)

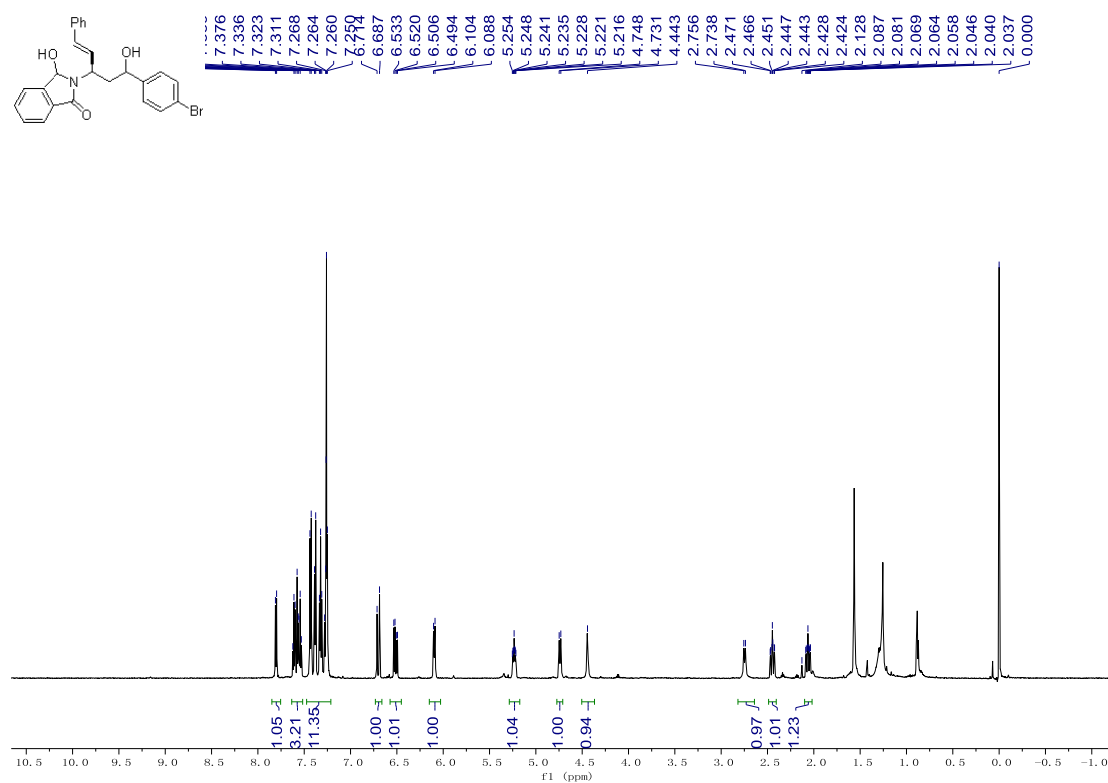


^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

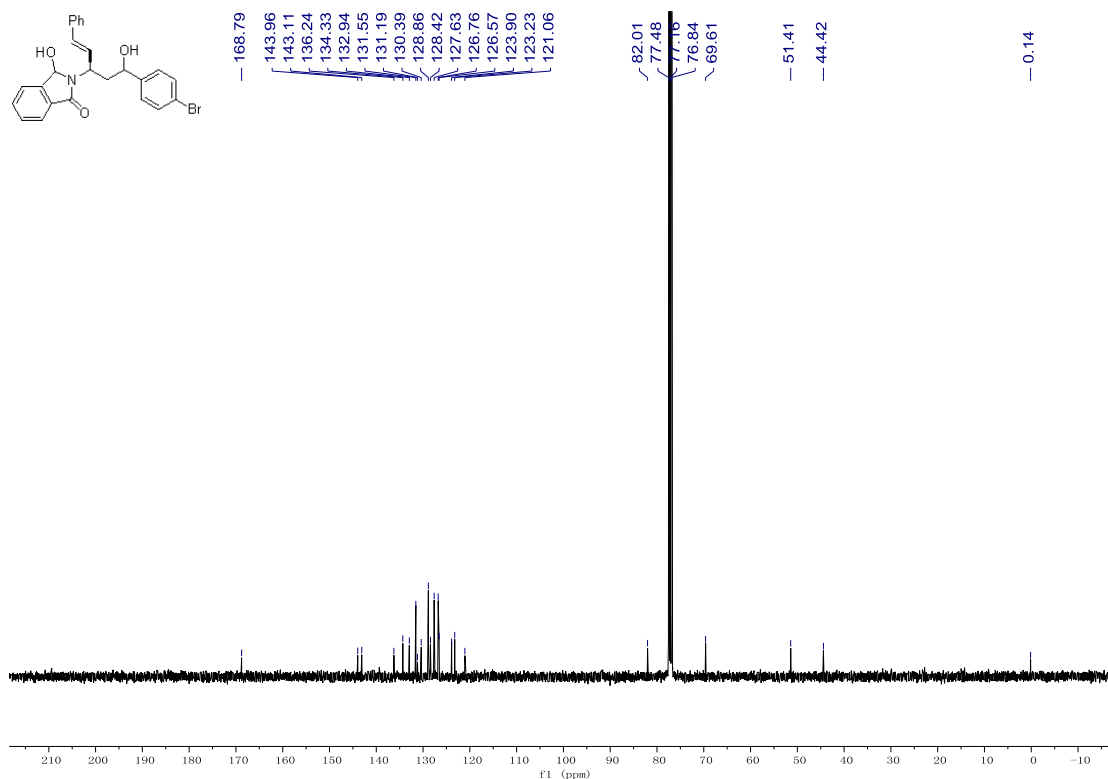


2-((3R,E)-5-(4-bromophenyl)-5-hydroxy-1-phenylpent-1-en-3-yl)-3-hydroxyisoindolin-1-one (by-product)

^1H NMR (400 MHz, CDCl_3)



¹³C {¹H} NMR (100 MHz, CDCl₃)

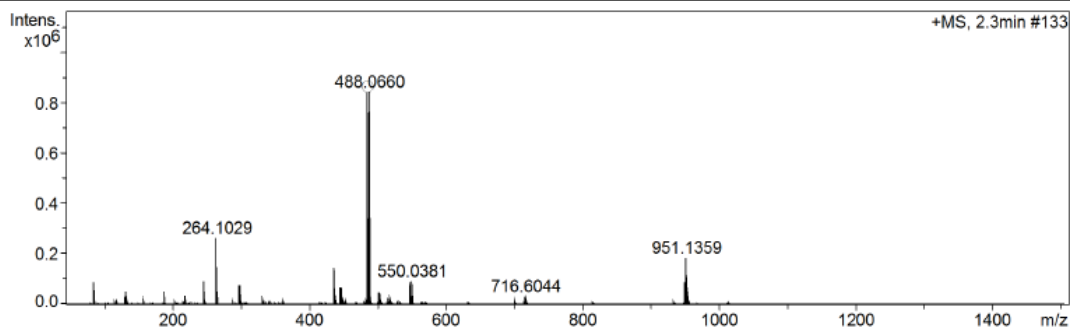


HR-MS (ESI)

Mass Spectrum SmartFormula Report

Analysis Info		Acquisition D 2022-02-24 20:28:30	
Analysis Name C:\Users\cgl\Desktop\0221_GE4_01_11620.d			
Method	LC_NO UV_P50-1500_6MIN.m	Operator	Demo User
Sample Name	0221	Instrument	compact 8255754.2017 6
Comment			

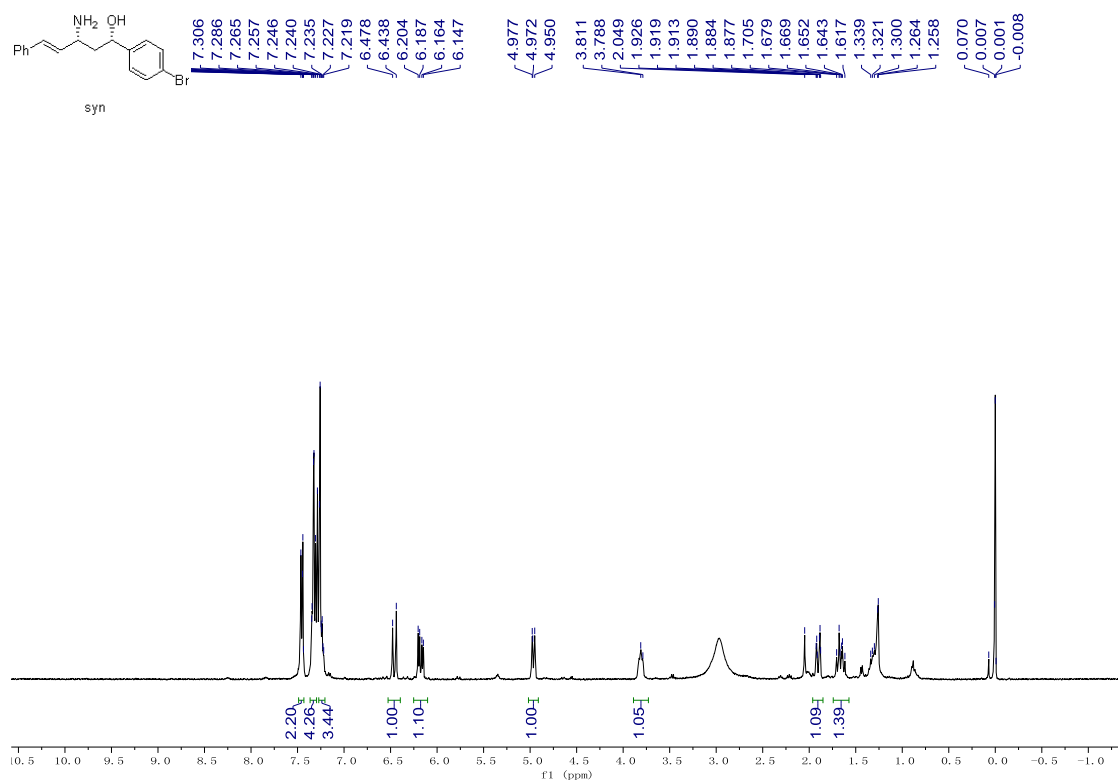
Acquisition Paramet			
Source Type	ESI	Ion Polarity	Positive
Focus	Not active	Set Capillary	4000 V
Scan Begin	50 m/z	Set End Plate	-500 V
Scan End	1500 m/z	Set Charging	2000 V
		Set Corona	0 nA
		Set Nebulizer	3.0 Bar
		Set Dry Heater	200 °C
		Set Dry Gas	8.0 l/min
		Set Divert Valve	Waste
		Set APCI Heater	0 °C



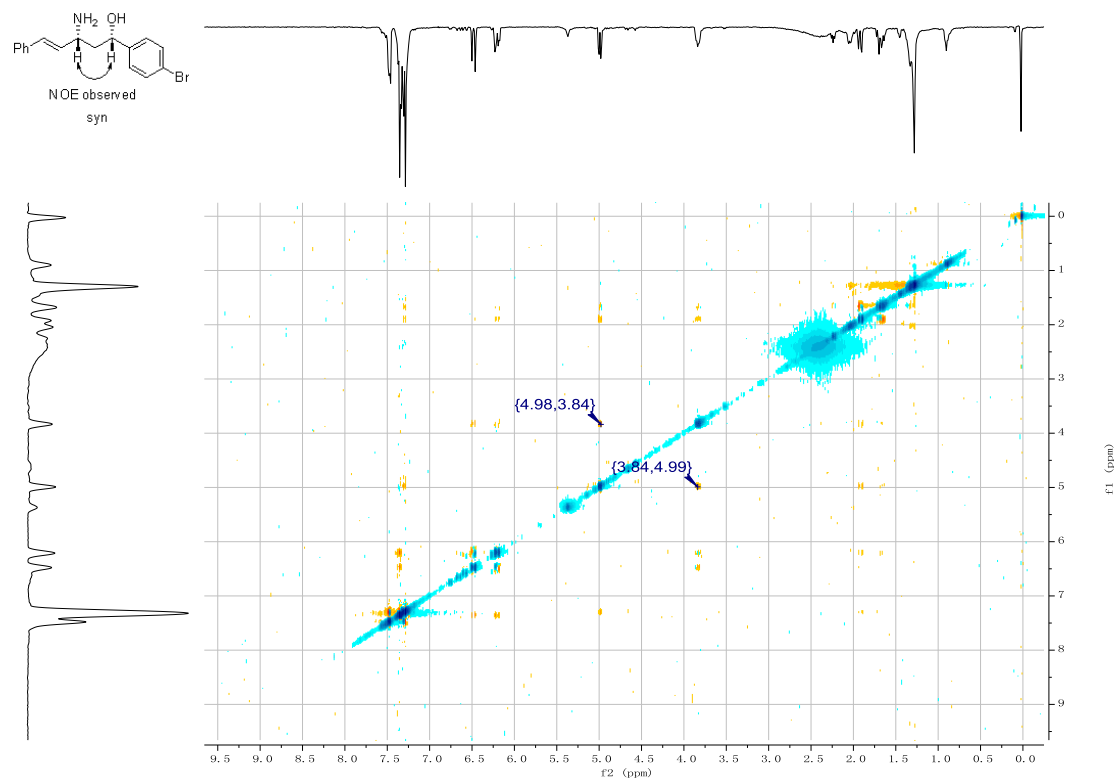
Meas. m/z	#	Ion Formula	m/z err [ppm]	mSigma	#	mSigma	Score	rdb	e	W	Conf	N-Rule
486.0674	1	C ₂₅ H ₂₂ BrNNaO ₃	486.0675	0.2	9.5	1	100.00	15.0	even			ok

(1S,3R,E)-3-amino-1-(4-bromophenyl)-5-phenylpent-4-en-1-ol (5: major)

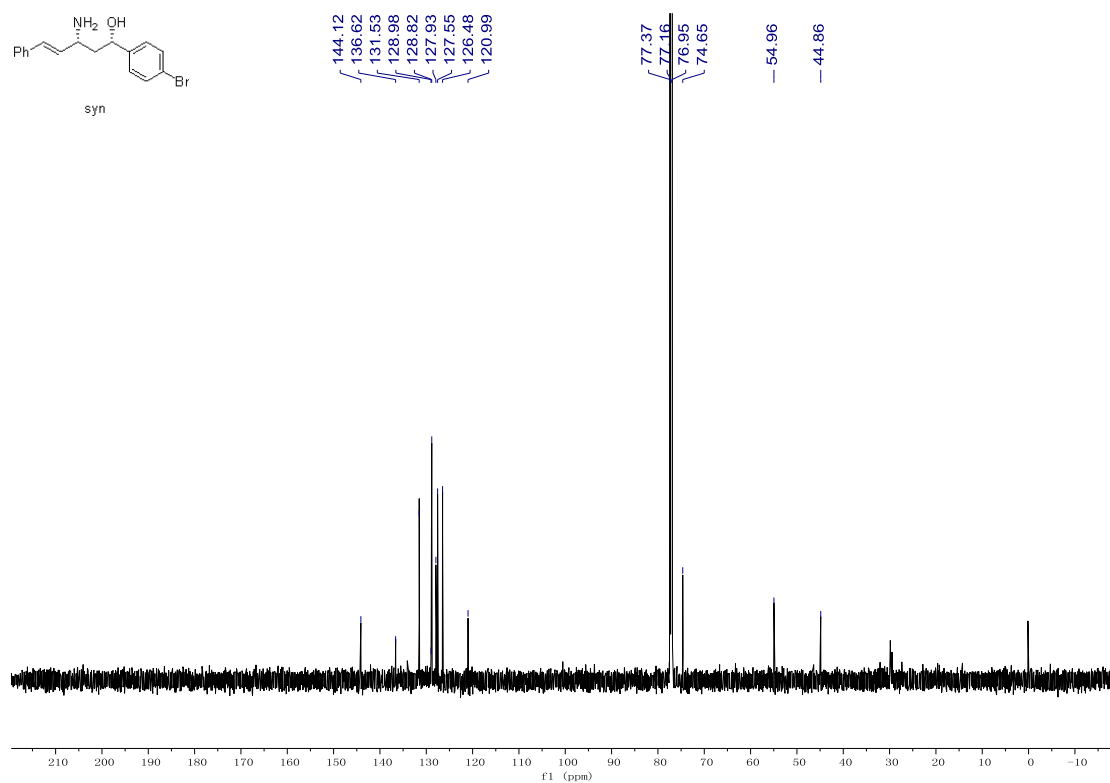
¹H NMR (400 MHz, CDCl₃)



H-H NOESY

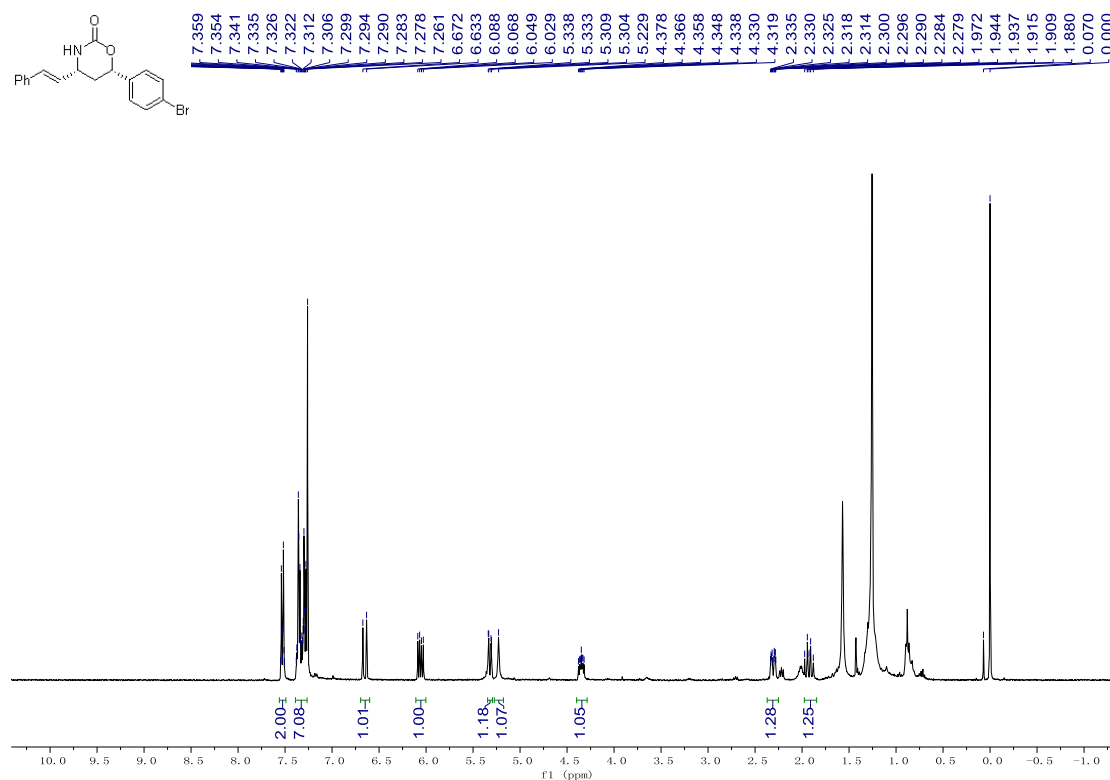


$^{13}\text{C} \{^1\text{H}\}$ NMR (150 MHz, CDCl_3)

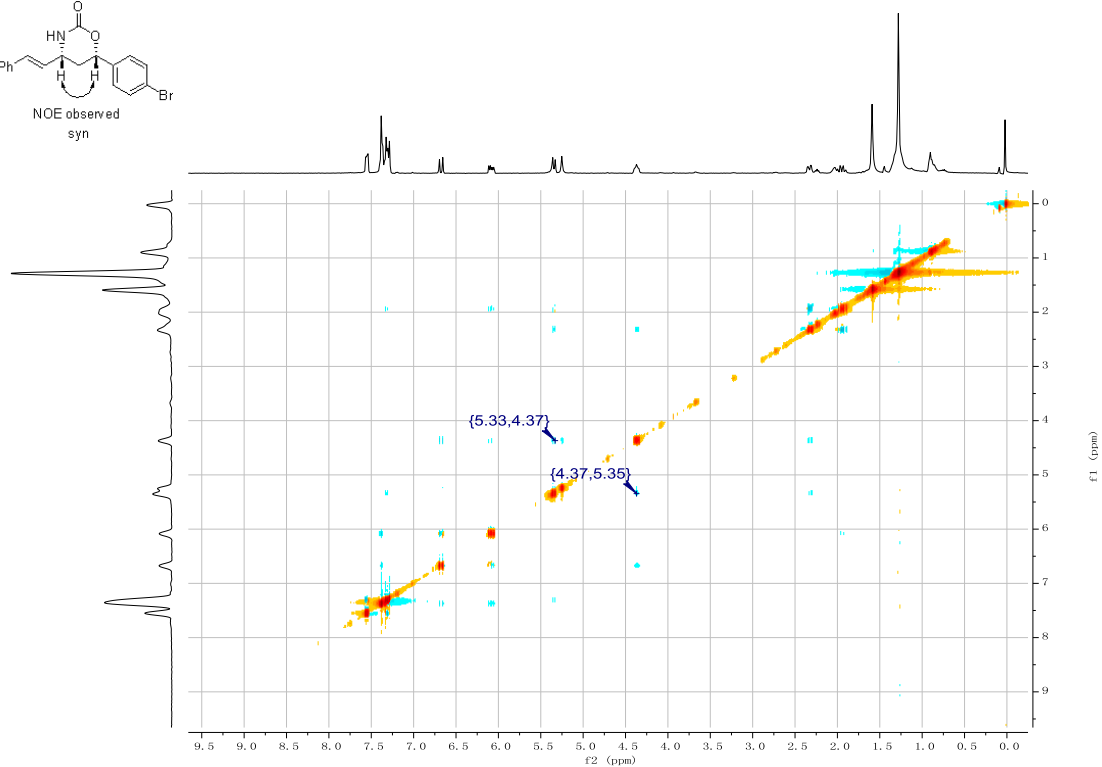
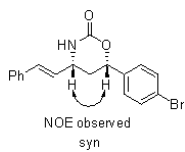


(4R,6S)-6-(4-bromophenyl)-4-((E)-styryl)-1,3-oxazin-2-one (6)

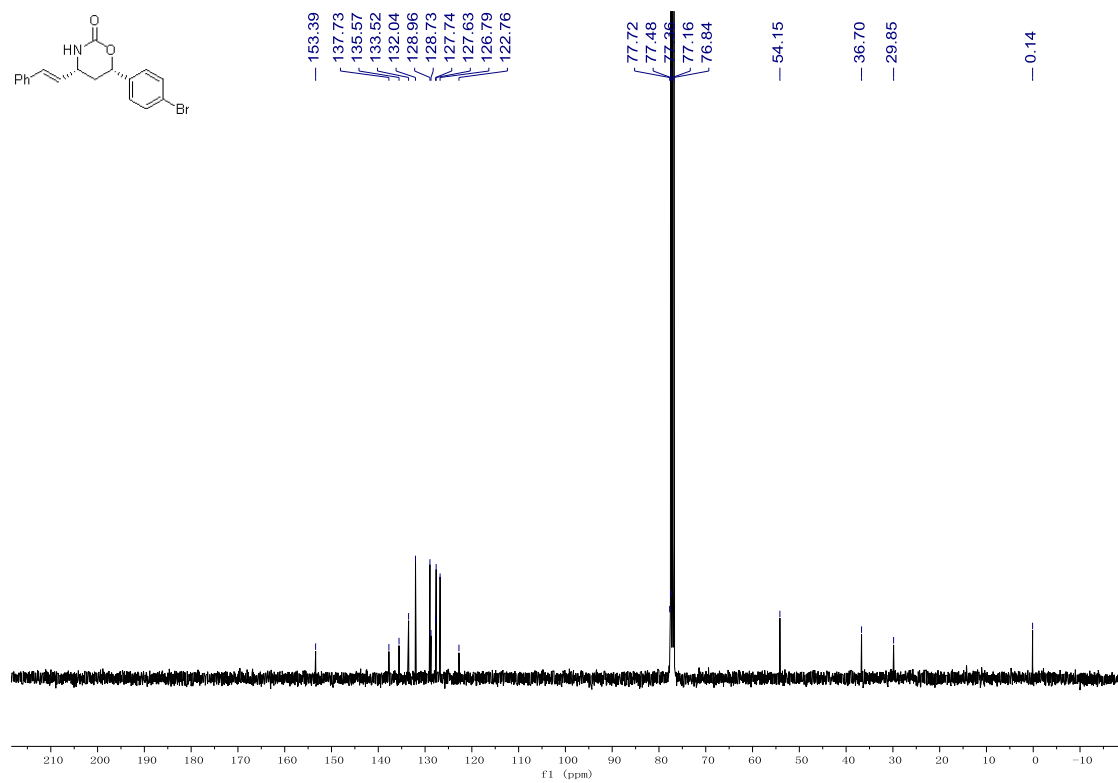
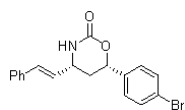
^1H NMR (400 MHz, CDCl_3)



H-H NOESY

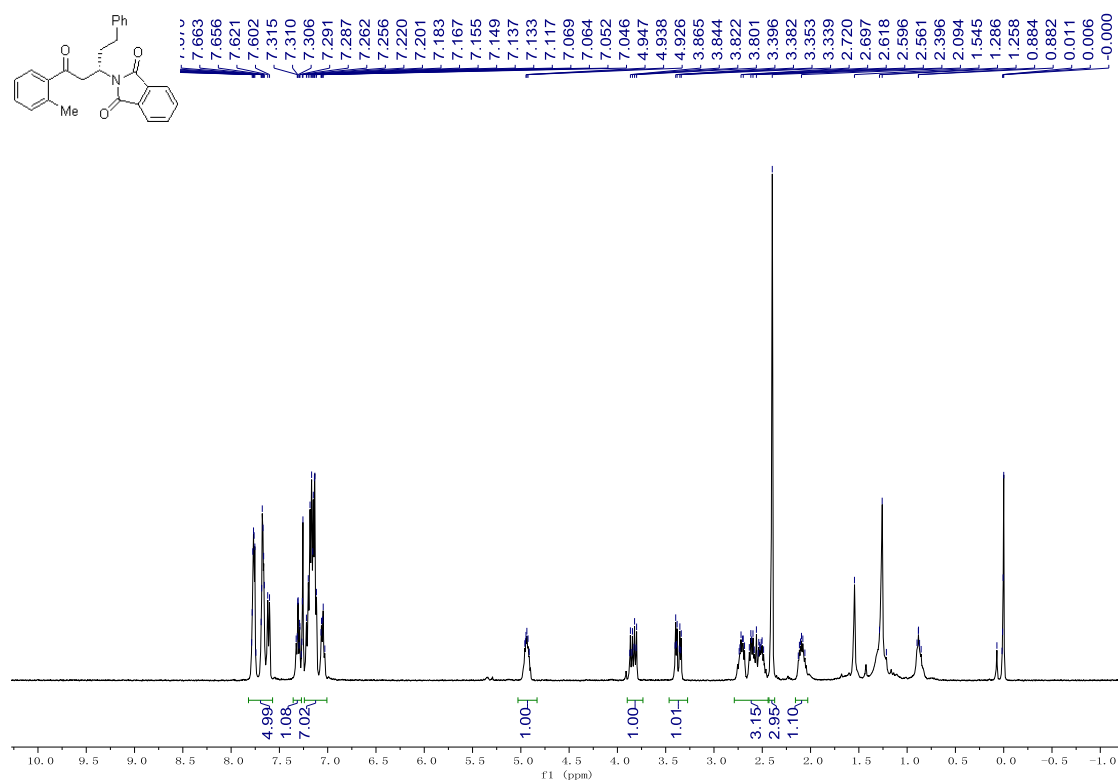


$^{13}\text{C} \{^1\text{H}\}$ NMR (100 MHz, CDCl_3)

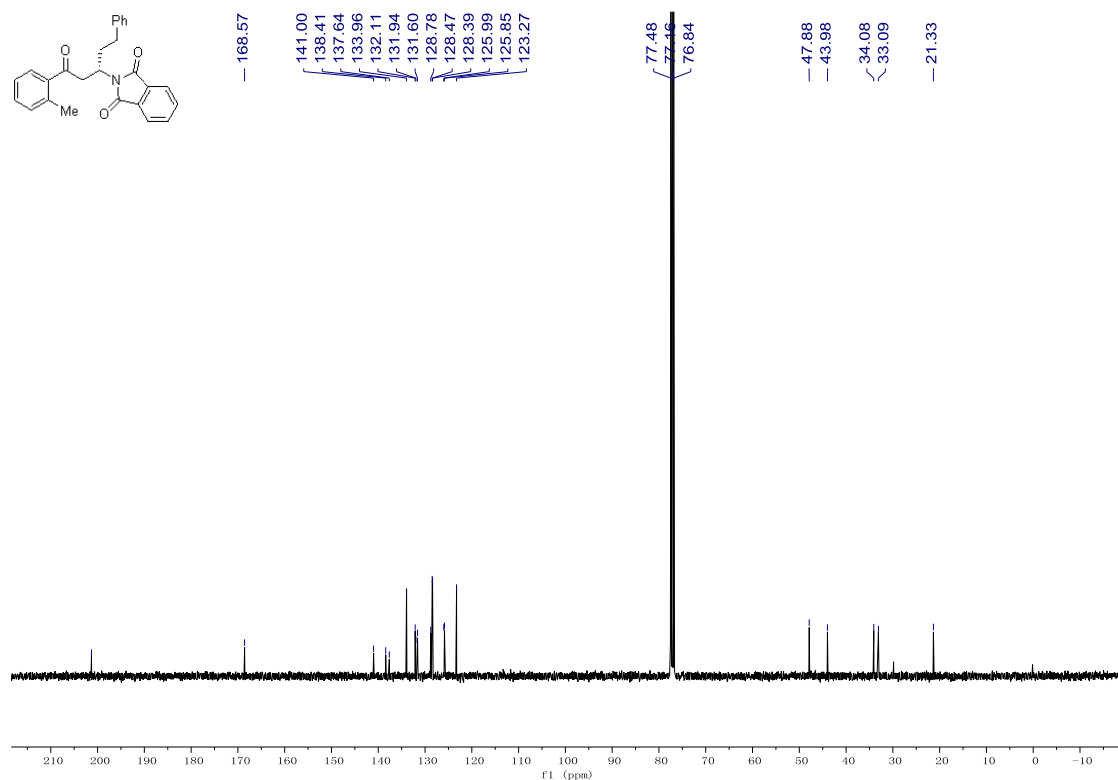


(S)-2-(1-oxo-5-phenyl-1-(*o*-tolyl)pentan-3-yl)isoindoline-1,3-dione (7)

¹H NMR (400 MHz, CDCl₃)

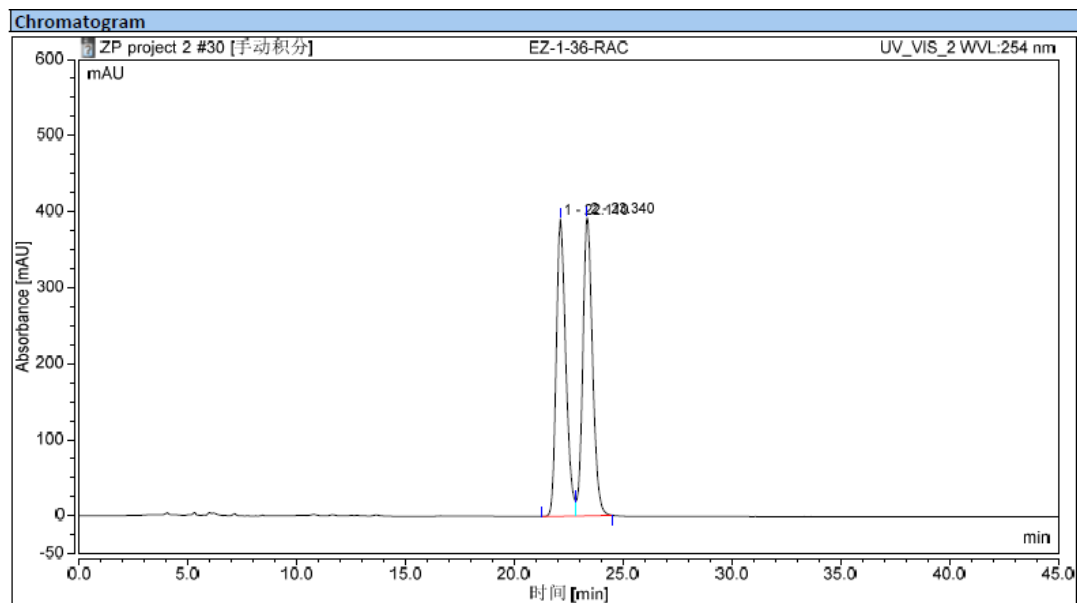
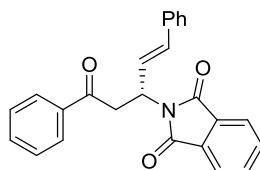


¹³C {¹H} NMR (100 MHz, CDCl₃)



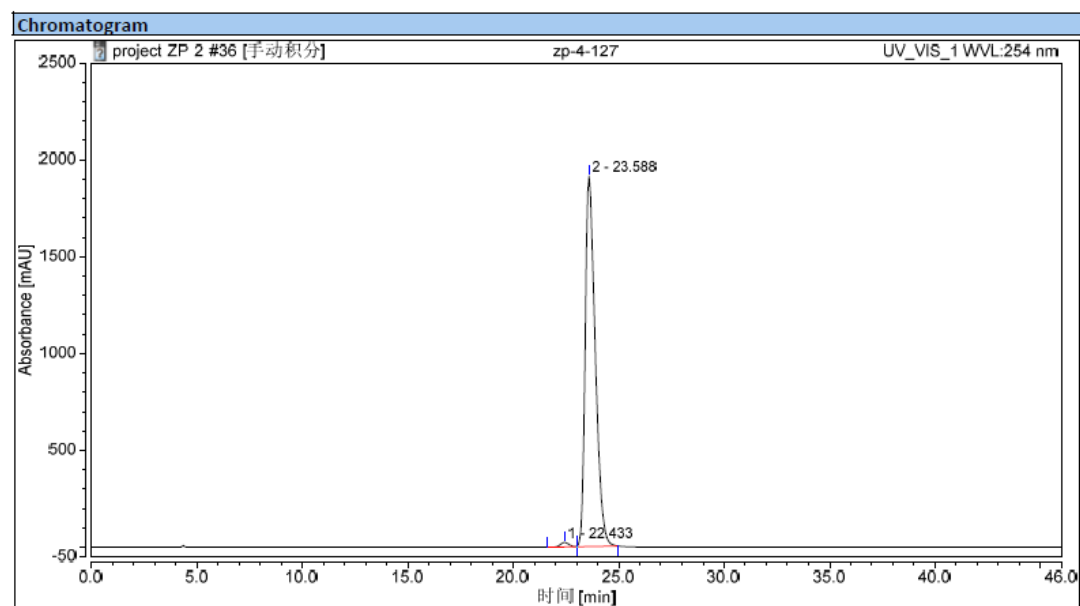
9. HPLC traces of optically active compounds

Compound 3aa



Integration Results

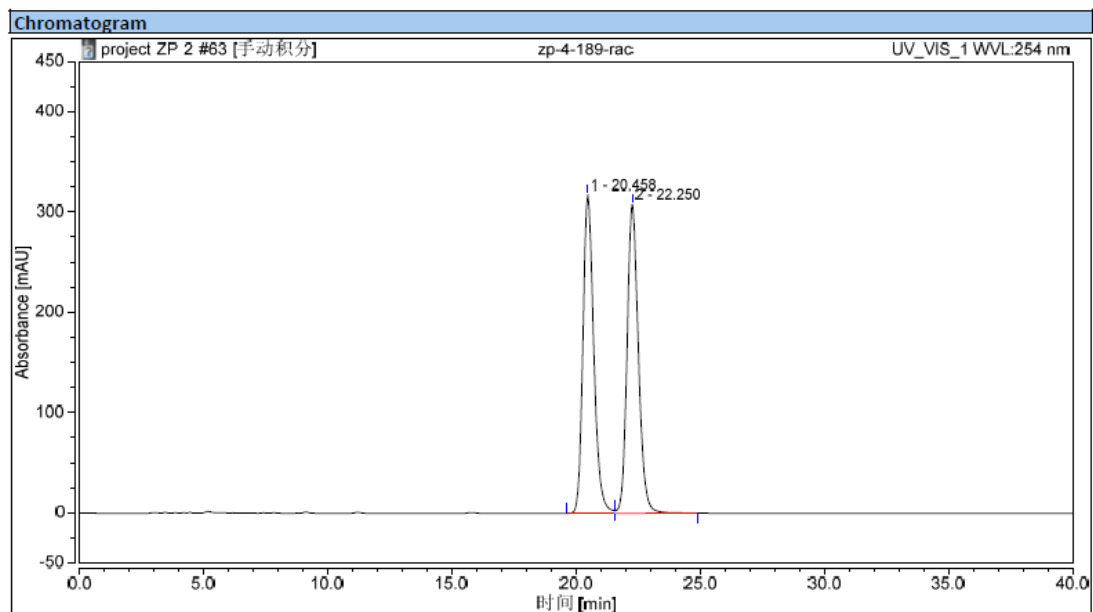
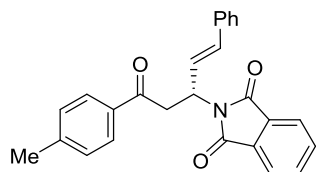
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		22.110	197.402	391.103	49.37	49.93	n.a.
2		23.340	202.470	392.249	50.63	50.07	n.a.
Total:			399.872	783.352	100.00	100.00	



Integration Results

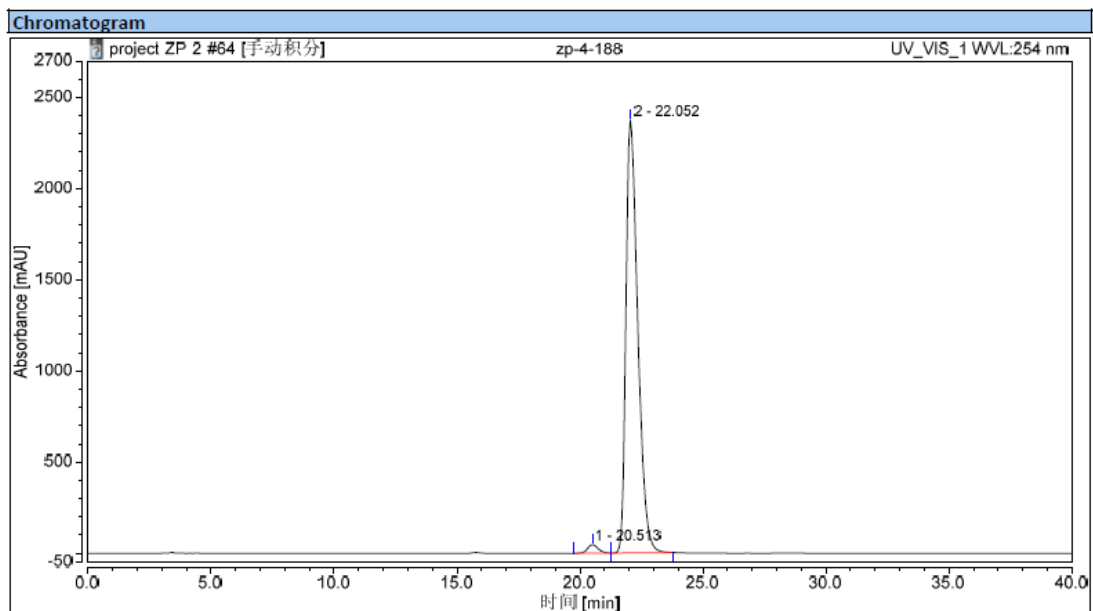
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		22.433	11.153	23.682	1.04	1.22	n.a.
2		23.588	1056.278	1914.193	98.96	98.78	n.a.
Total:			1067.431	1937.874	100.00	100.00	

Compound 3ba



Integration Results

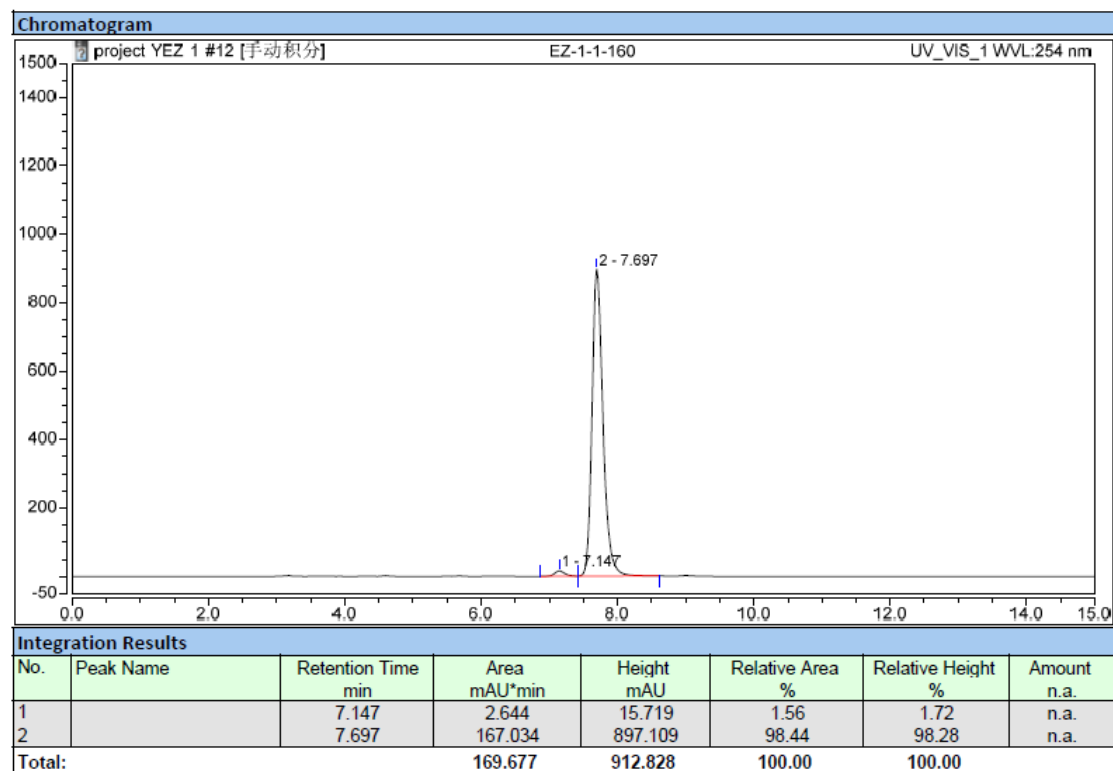
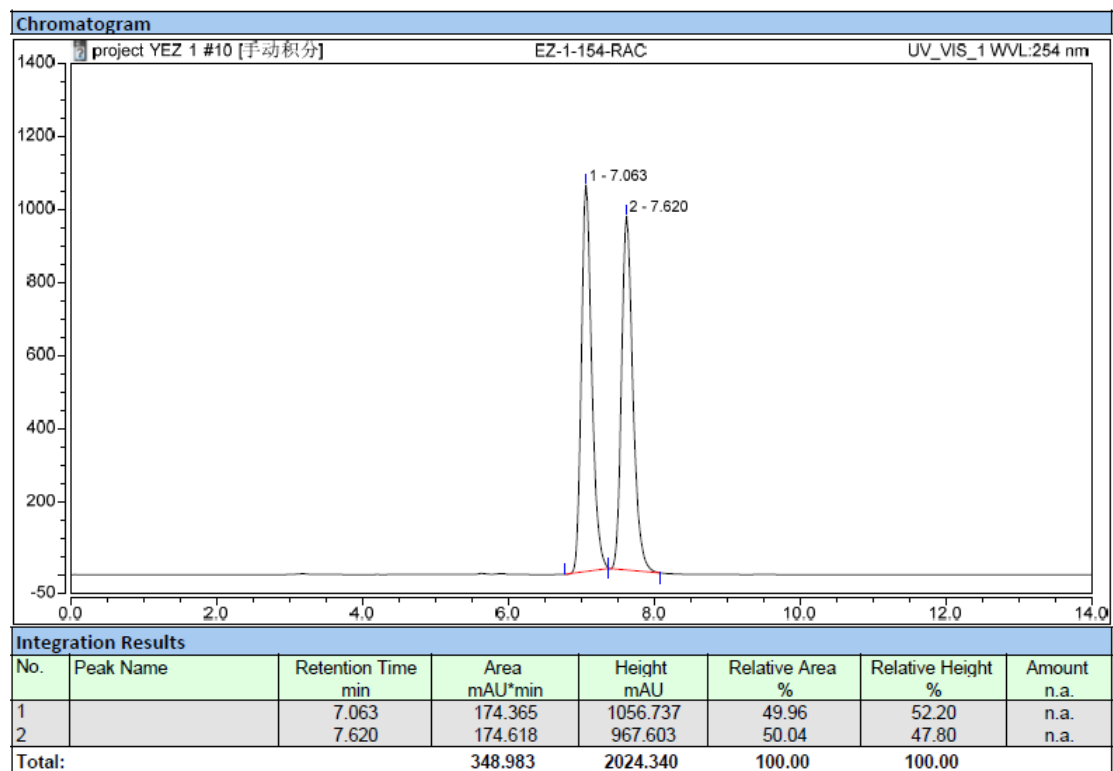
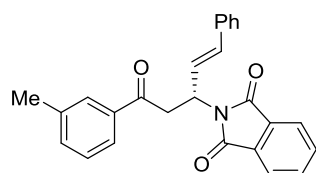
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		20.458	160.061	317.598	49.61	50.78	n.a.
2		22.250	162.586	307.900	50.39	49.22	n.a.
Total:			322.647	625.498	100.00	100.00	



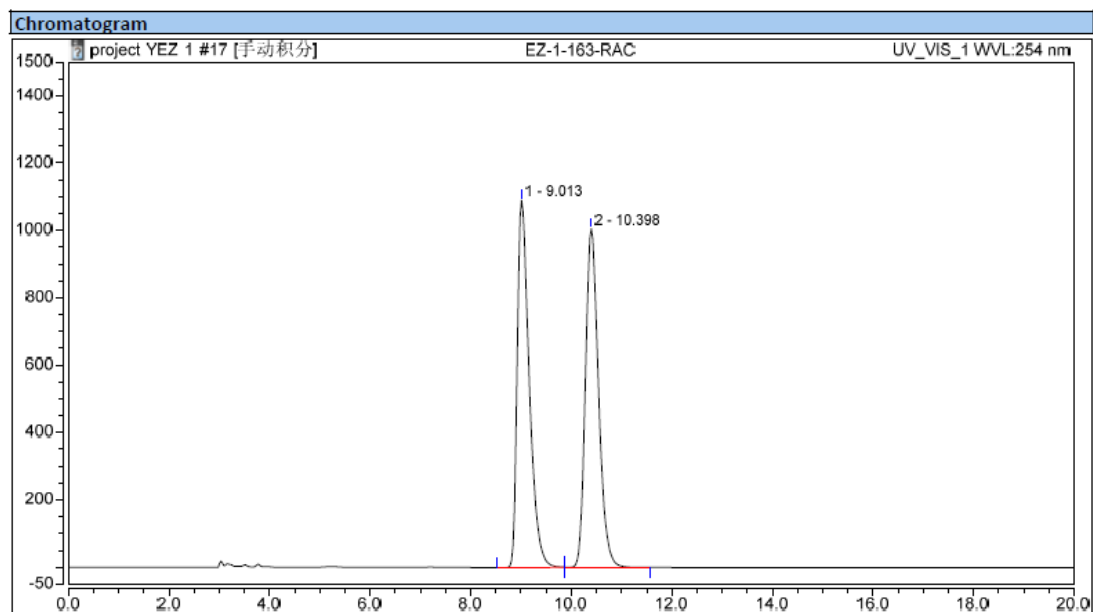
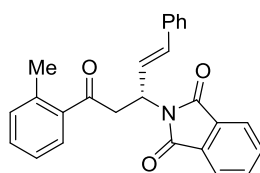
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		20.513	22.492	46.231	1.68	1.91	n.a.
2		22.052	1319.894	2373.051	98.32	98.09	n.a.
Total:			1342.386	2419.282	100.00	100.00	

Compound 3ca

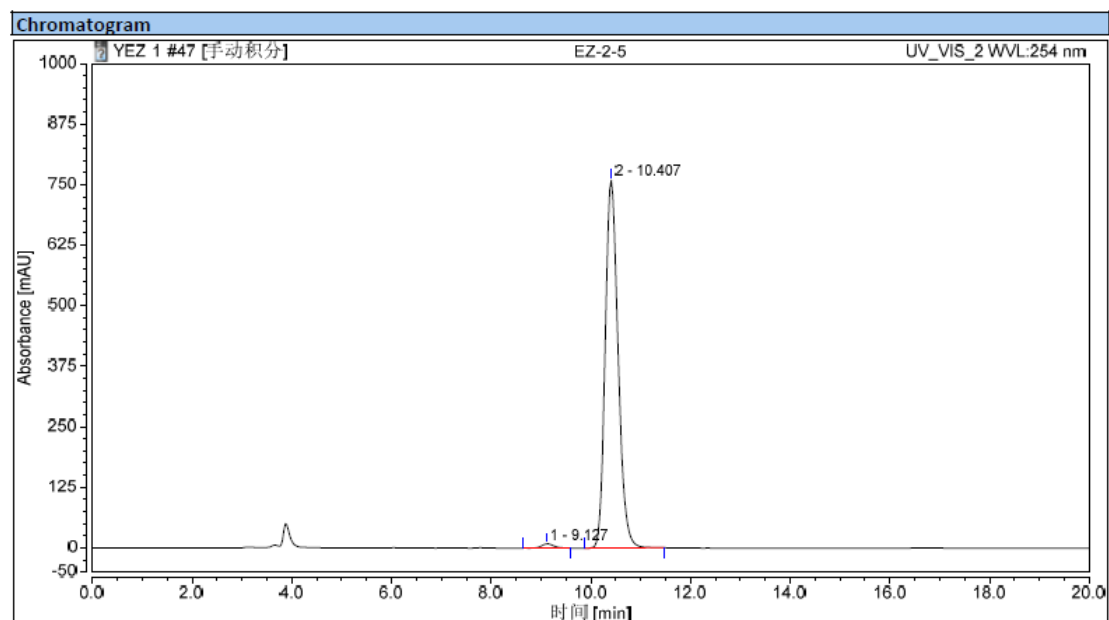


Compound 3da



Integration Results

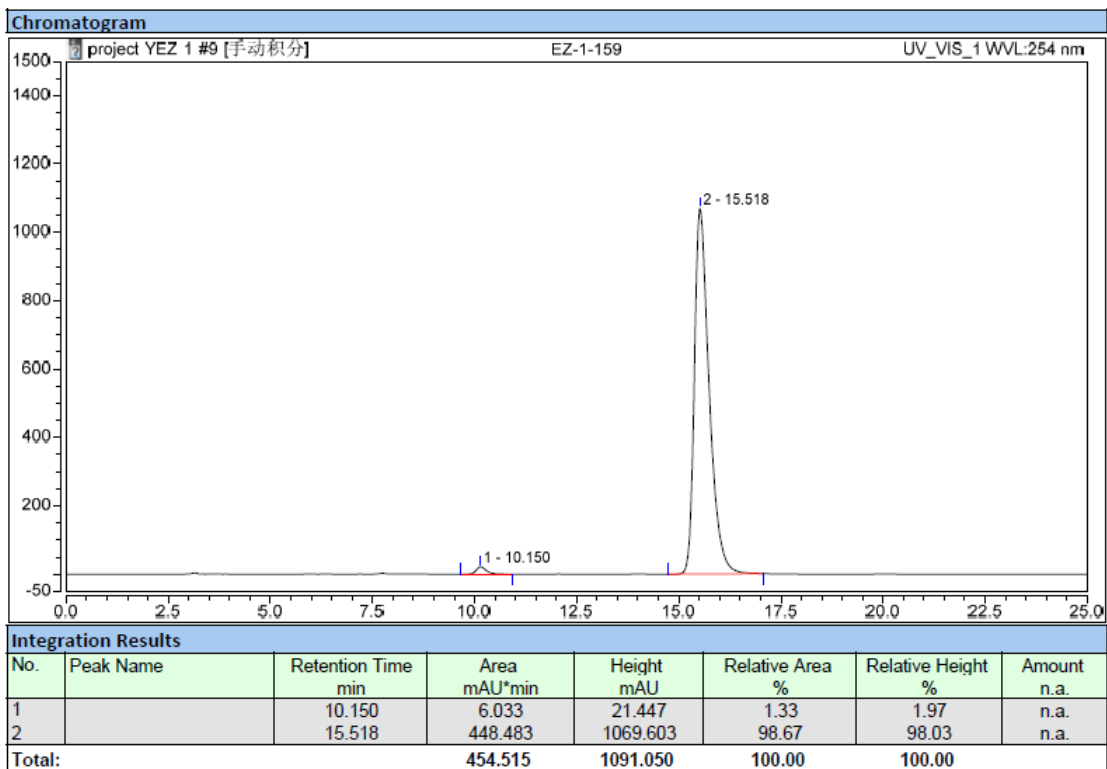
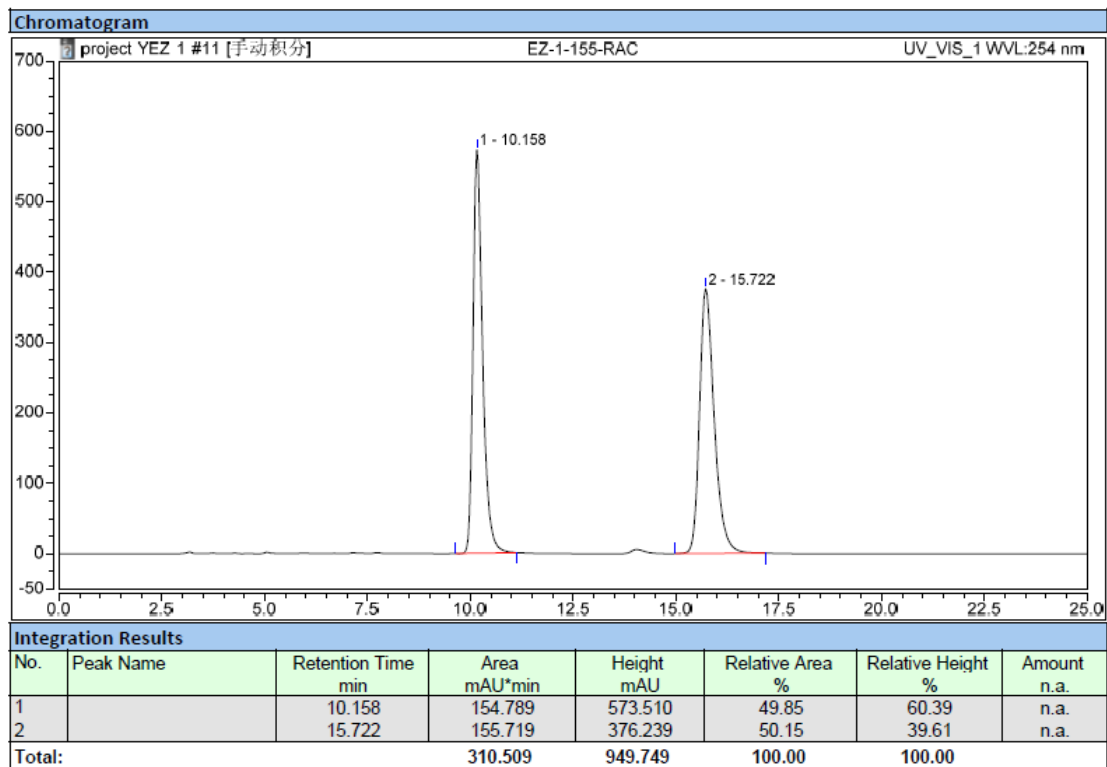
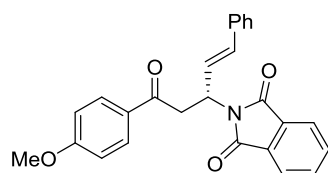
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.013	301.628	1091.006	49.87	52.03	n.a.
2		10.398	303.172	1005.761	50.13	47.97	n.a.
Total:			604.800	2096.767	100.00	100.00	



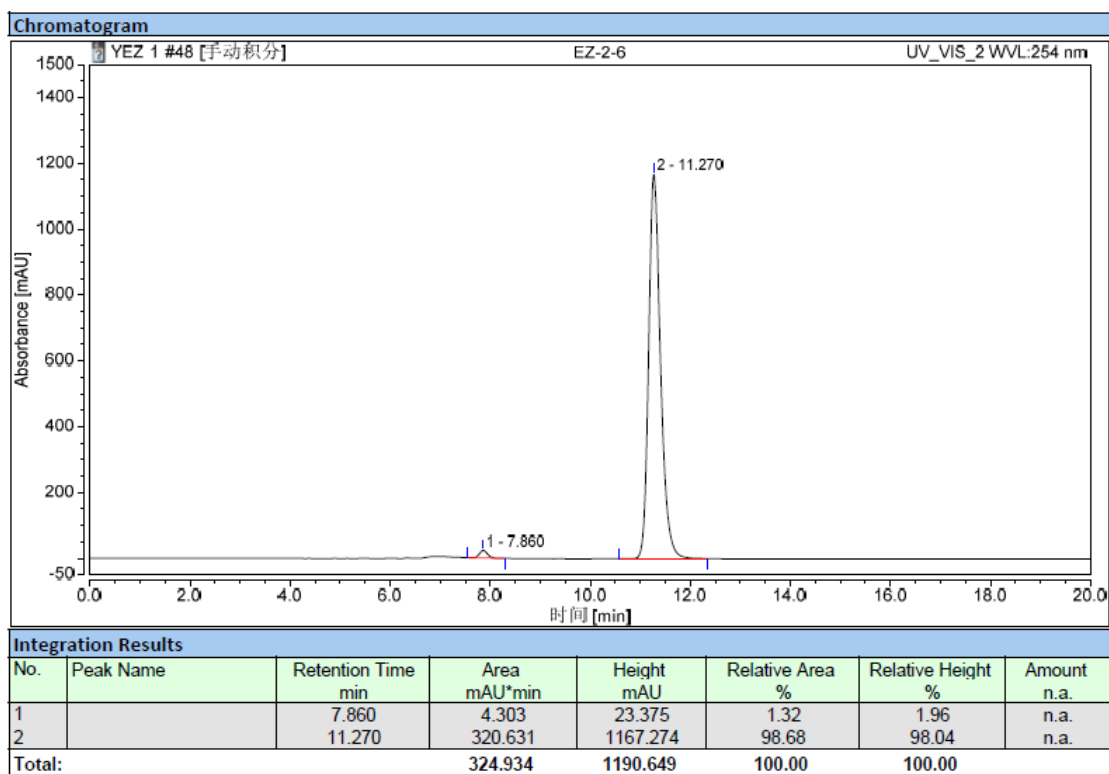
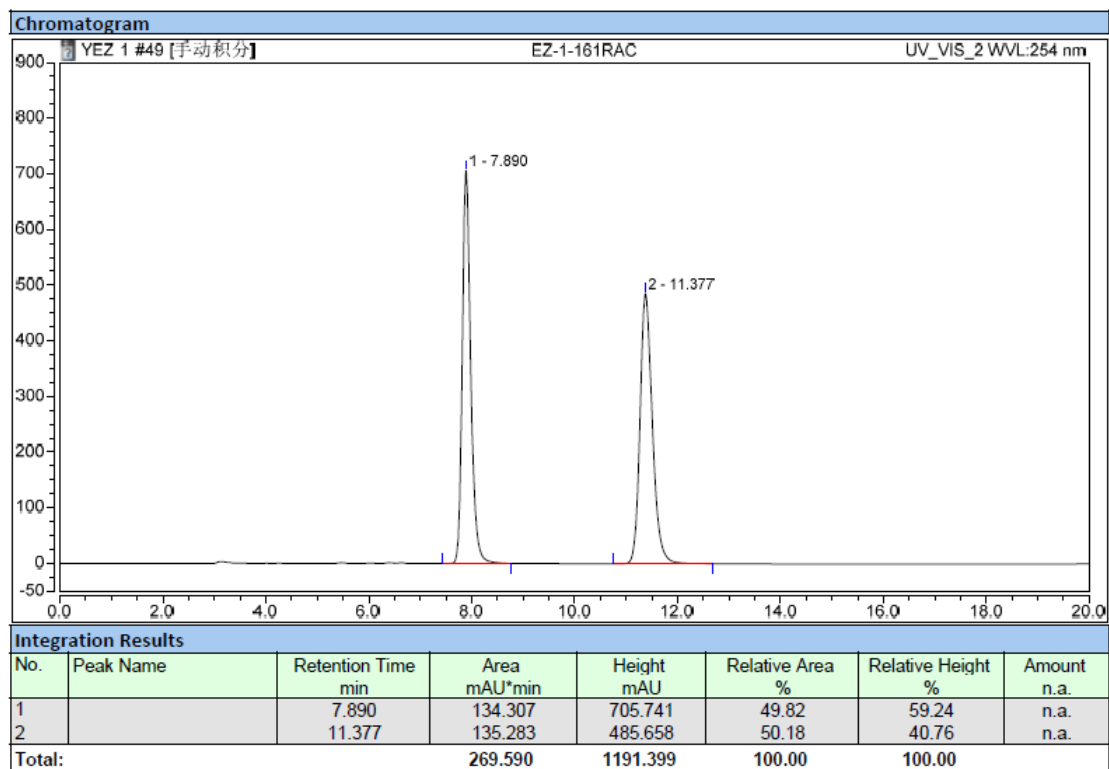
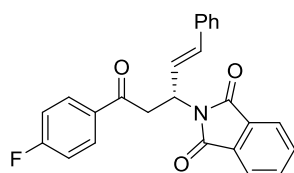
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.127	2.348	8.671	1.03	1.13	n.a.
2		10.407	226.335	759.875	98.97	98.87	n.a.
Total:			228.684	768.546	100.00	100.00	

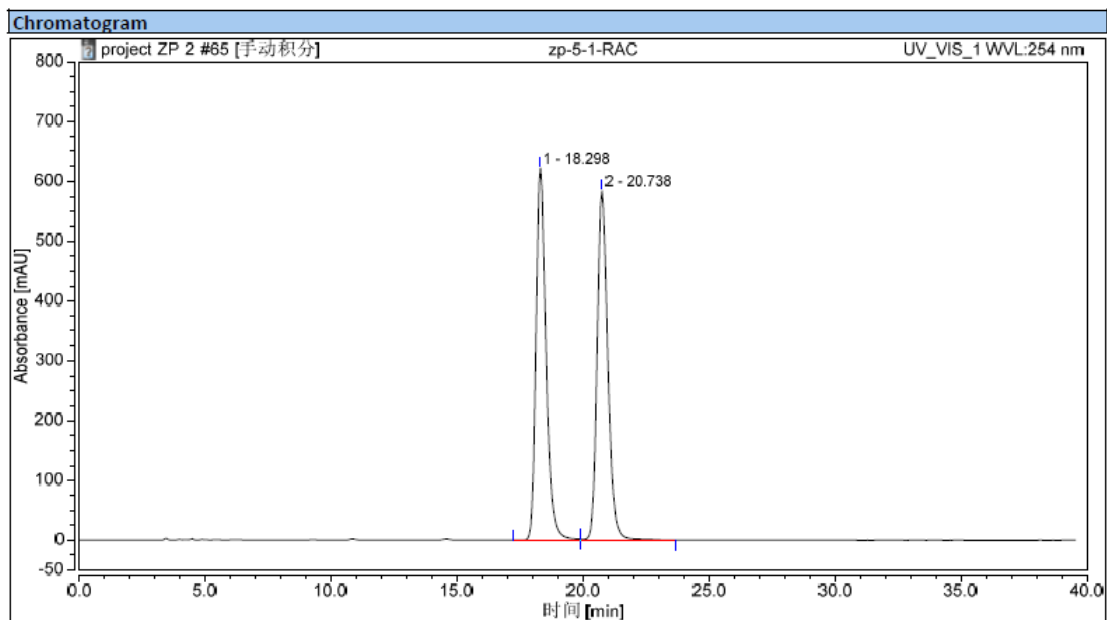
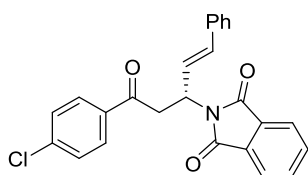
Compound 3ea



Compound 3fa

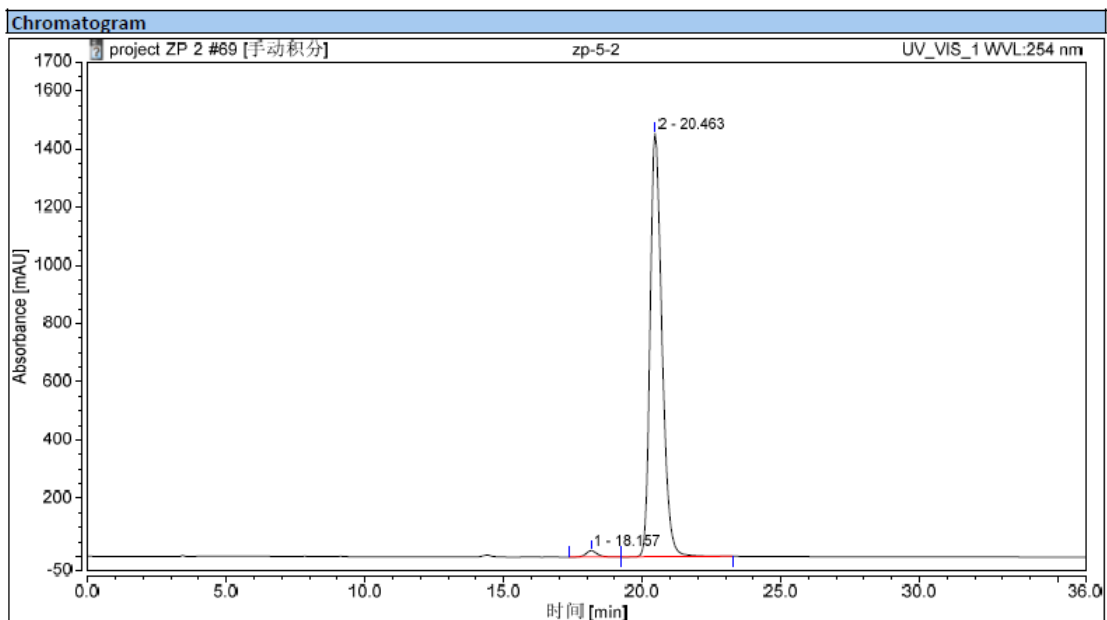


Compound 3ga



Integration Results

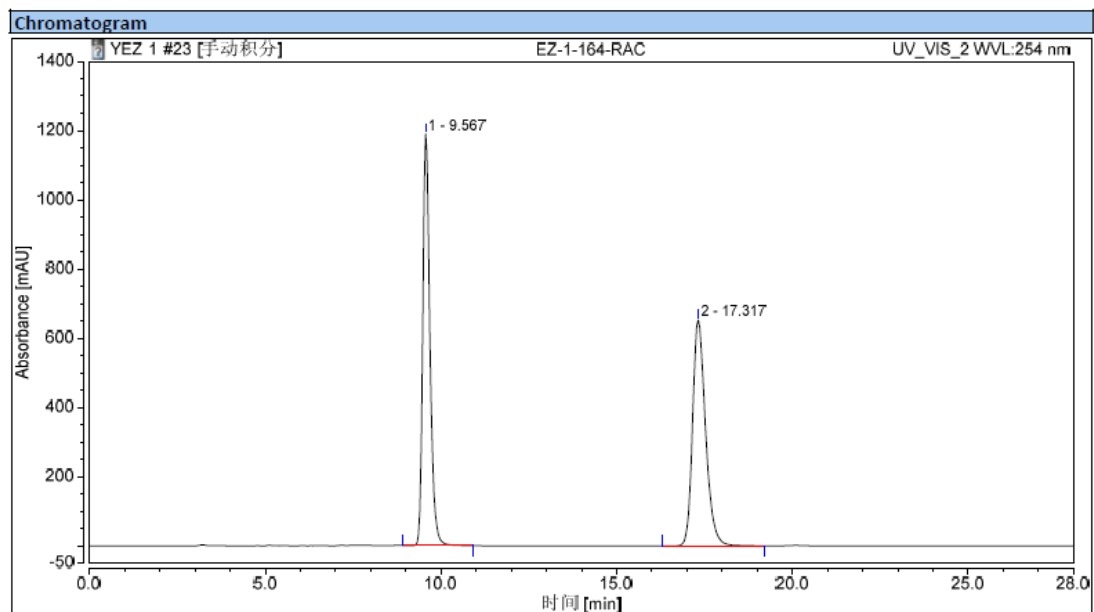
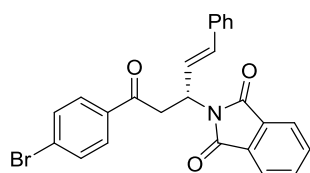
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		18.298	286.834	622.124	49.81	51.57	n.a.
2		20.738	289.071	584.183	50.19	48.43	n.a.
Total:			575.905	1206.307	100.00	100.00	



Integration Results

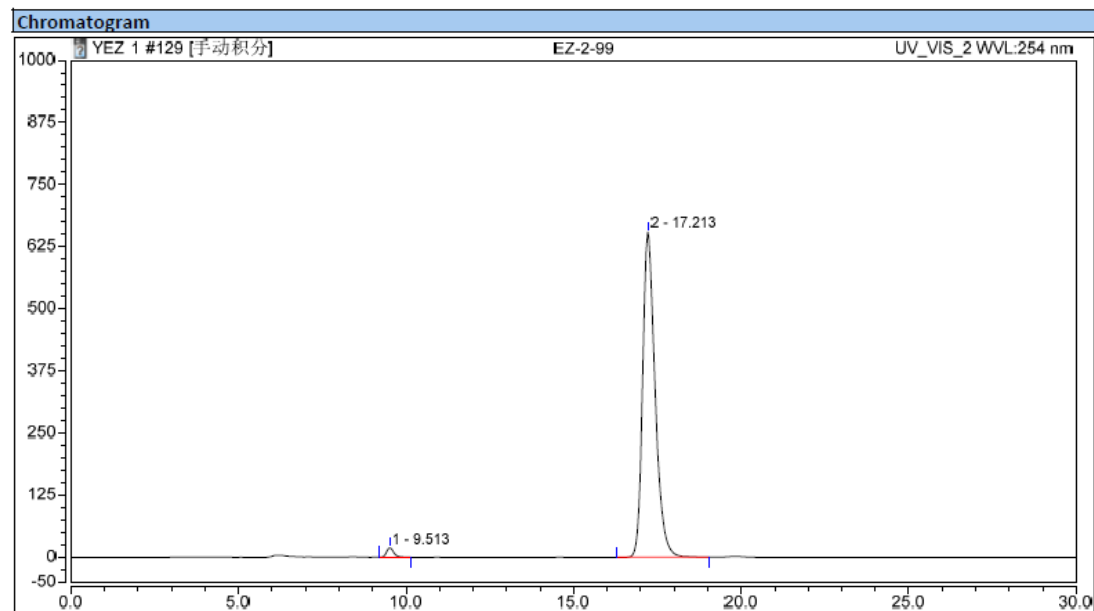
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		18.157	9.451	21.491	1.29	1.46	n.a.
2		20.463	720.523	1455.228	98.71	98.54	n.a.
Total:			729.974	1476.719	100.00	100.00	

Compound 3ha



Integration Results

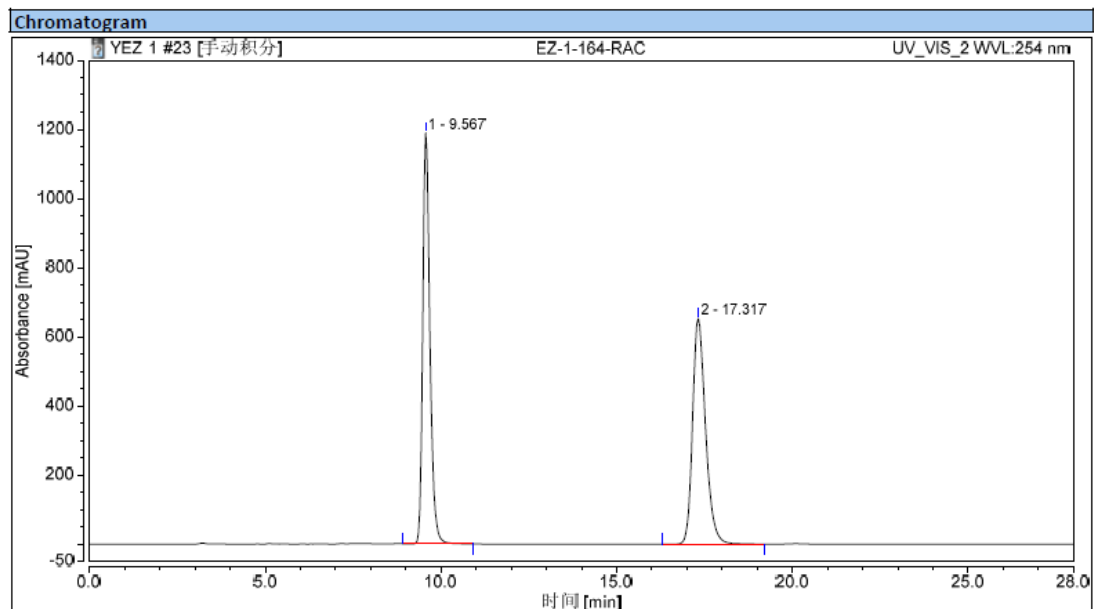
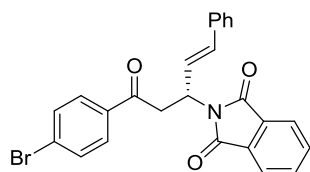
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.567	278.093	1188.759	49.96	64.56	n.a.
2		17.317	278.576	652.646	50.04	35.44	n.a.
Total:			556.669	1841.405	100.00	100.00	



Integration Results

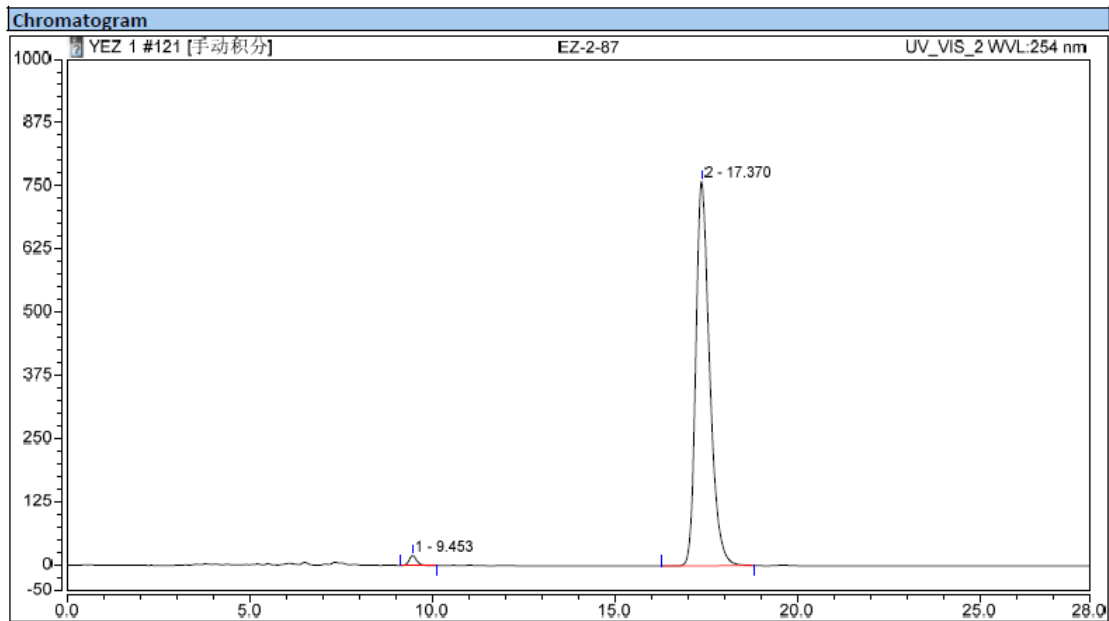
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.513	4.617	18.902	1.61	2.81	n.a.
2		17.213	282.500	654.105	98.39	97.19	n.a.
Total:			287.117	673.007	100.00	100.00	

Compound 3ha (scale-up version)



Integration Results

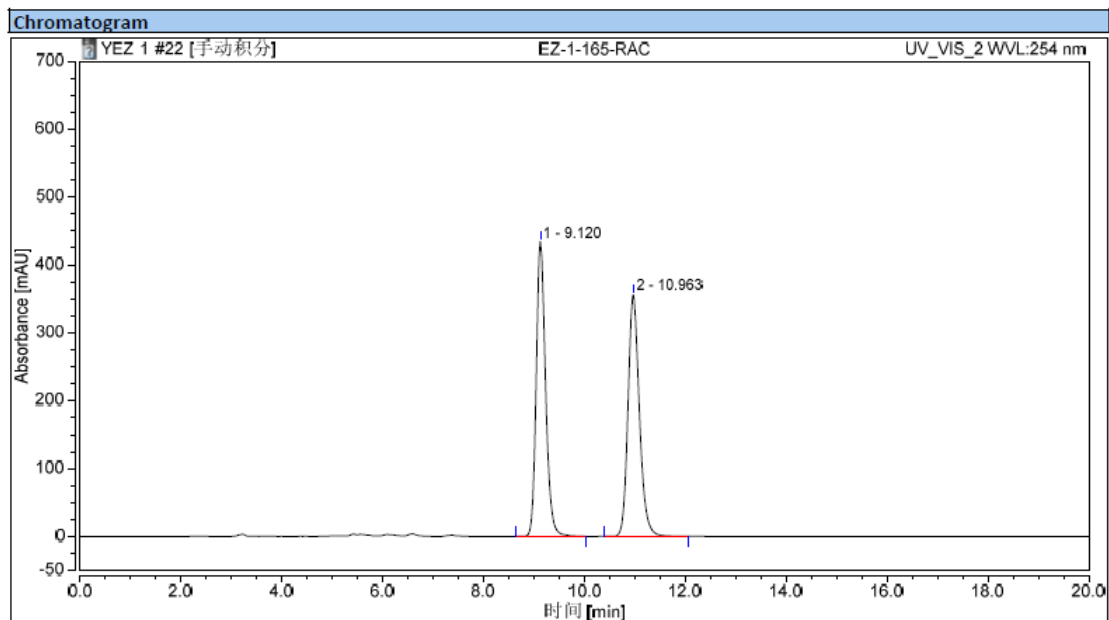
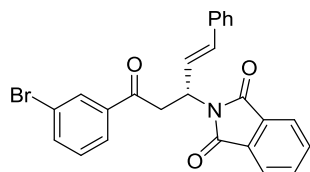
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.567	278.093	1188.759	49.96	64.56	n.a.
2		17.317	278.576	652.646	50.04	35.44	n.a.
Total:			556.669	1841.405	100.00	100.00	



Integration Results

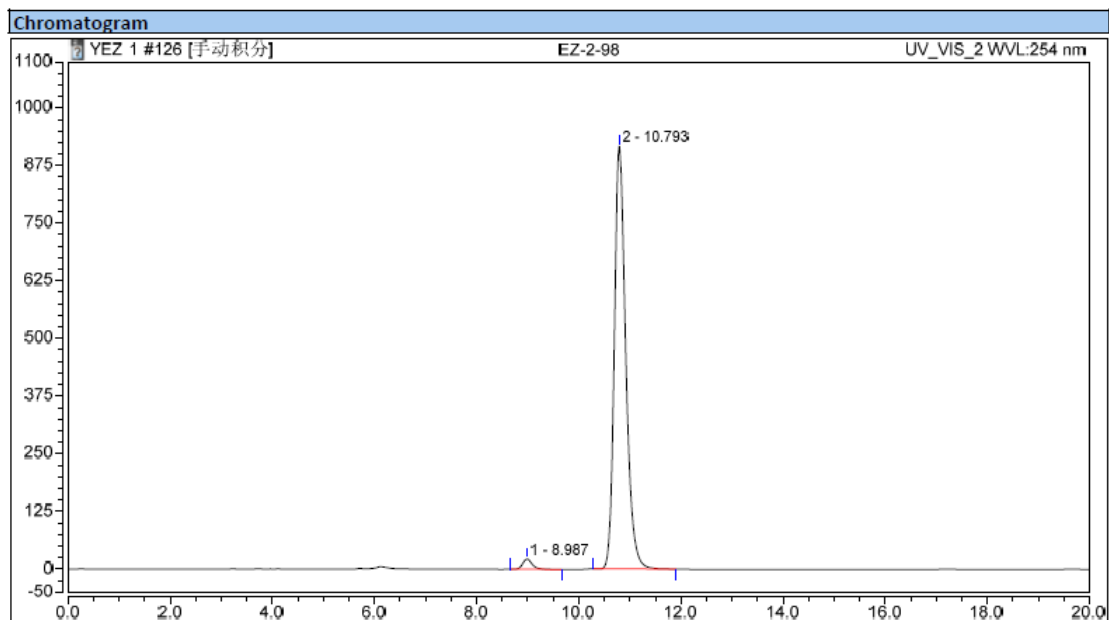
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.453	4.714	19.716	1.40	2.53	n.a.
2		17.370	332.997	760.239	98.60	97.47	n.a.
Total:			337.711	779.955	100.00	100.00	

Compound 3ia



Integration Results

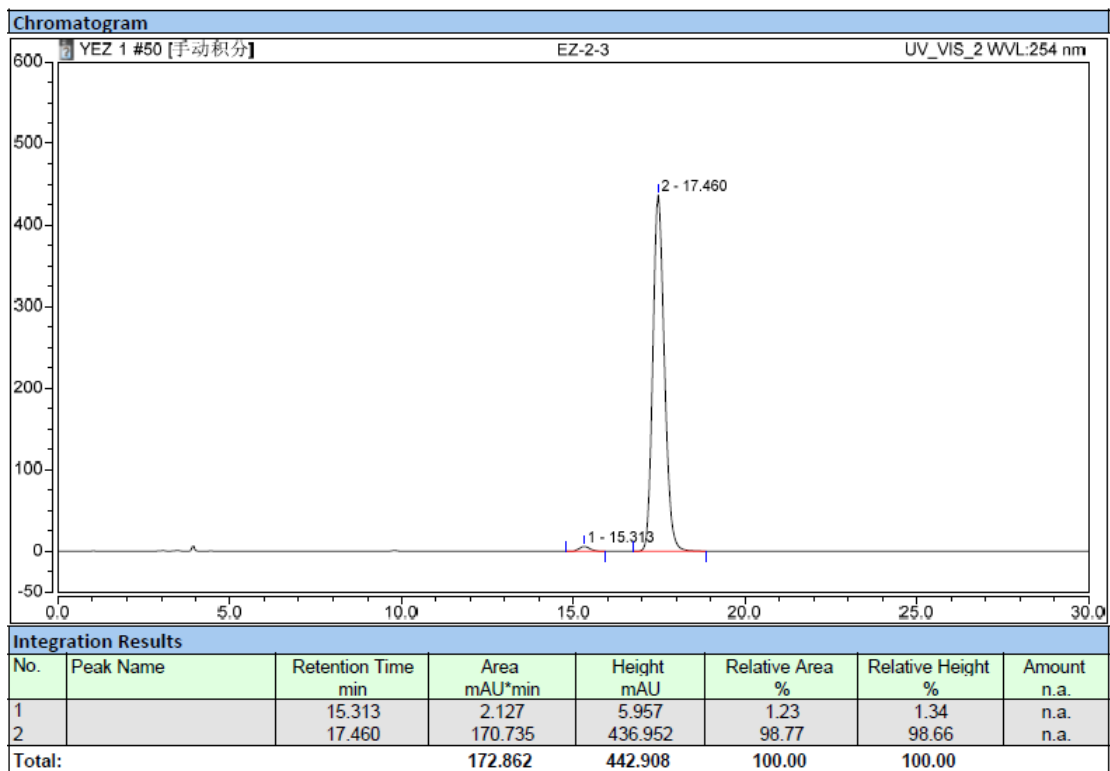
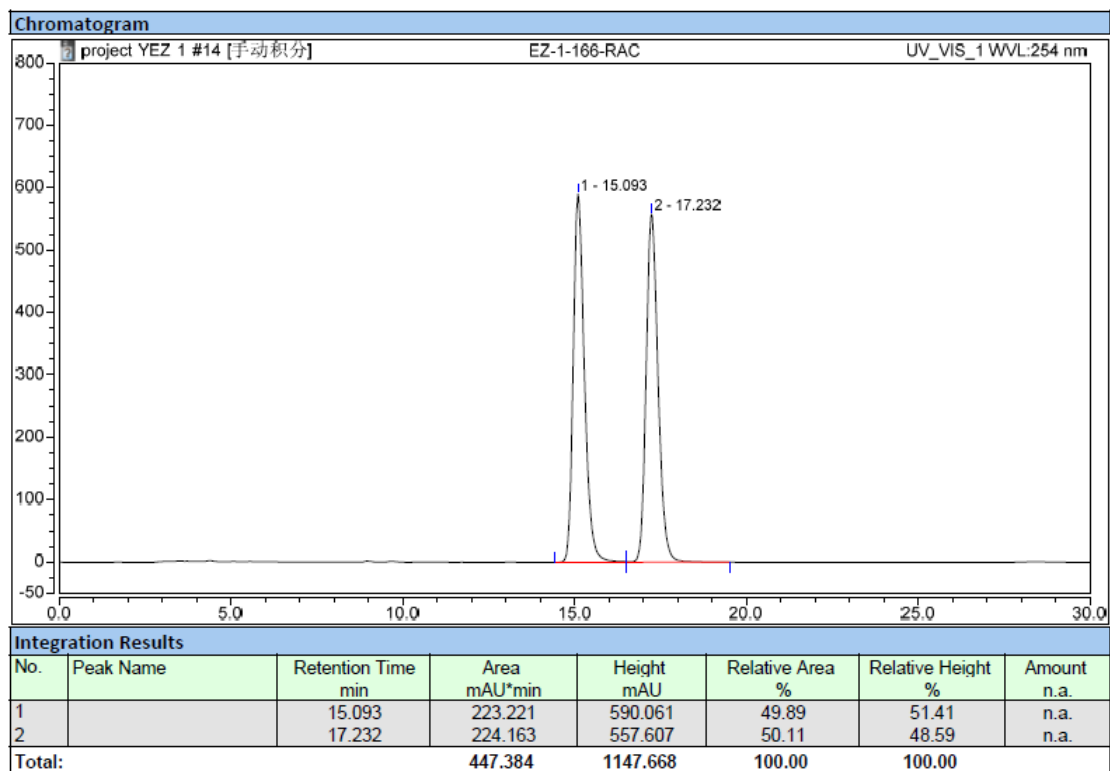
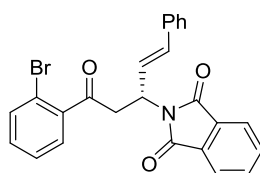
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.120	92.335	433.945	50.09	54.96	n.a.
2		10.963	92.009	355.570	49.91	45.04	n.a.
Total:			184.344	789.515	100.00	100.00	



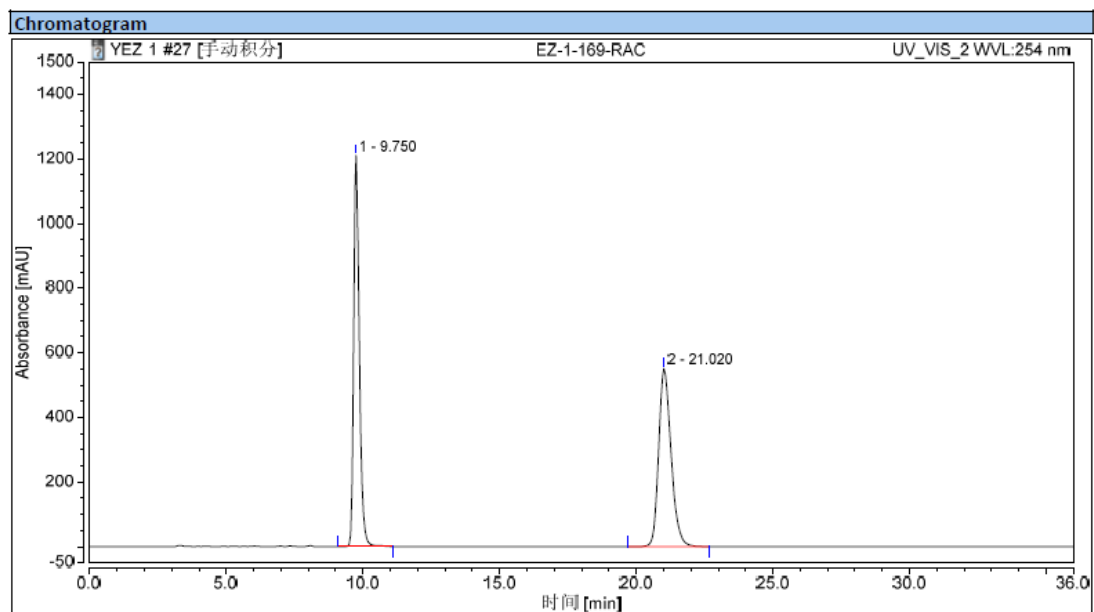
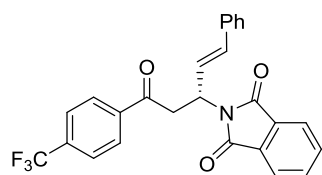
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.987	4.816	22.461	1.98	2.39	n.a.
2		10.793	238.309	917.078	98.02	97.61	n.a.
Total:			243.125	939.540	100.00	100.00	

Compound 3ja

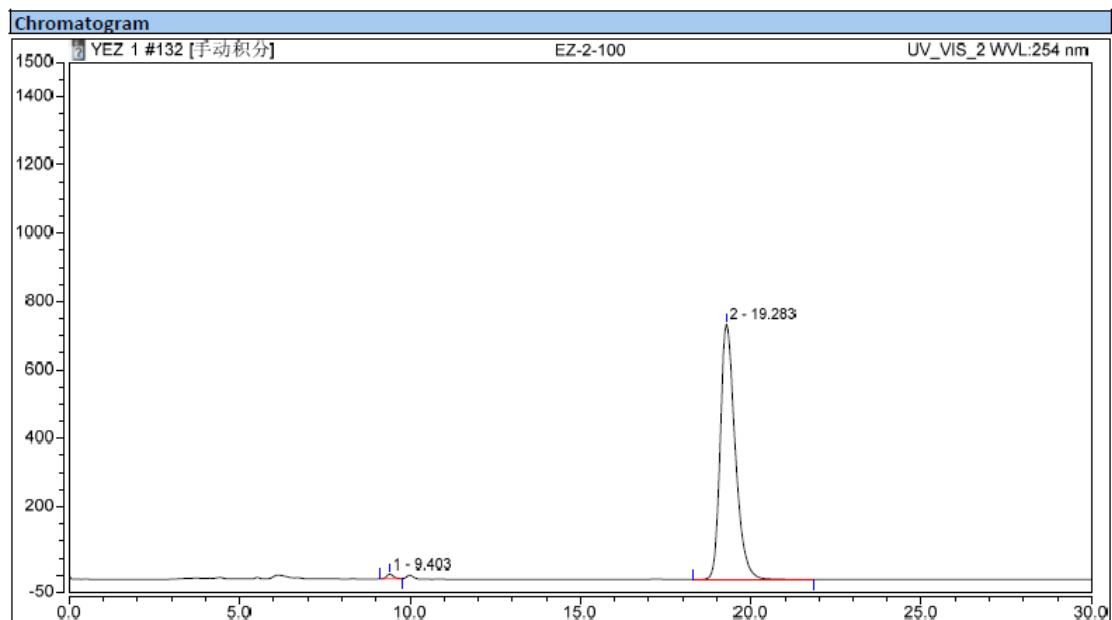


Compound 3ka



Integration Results

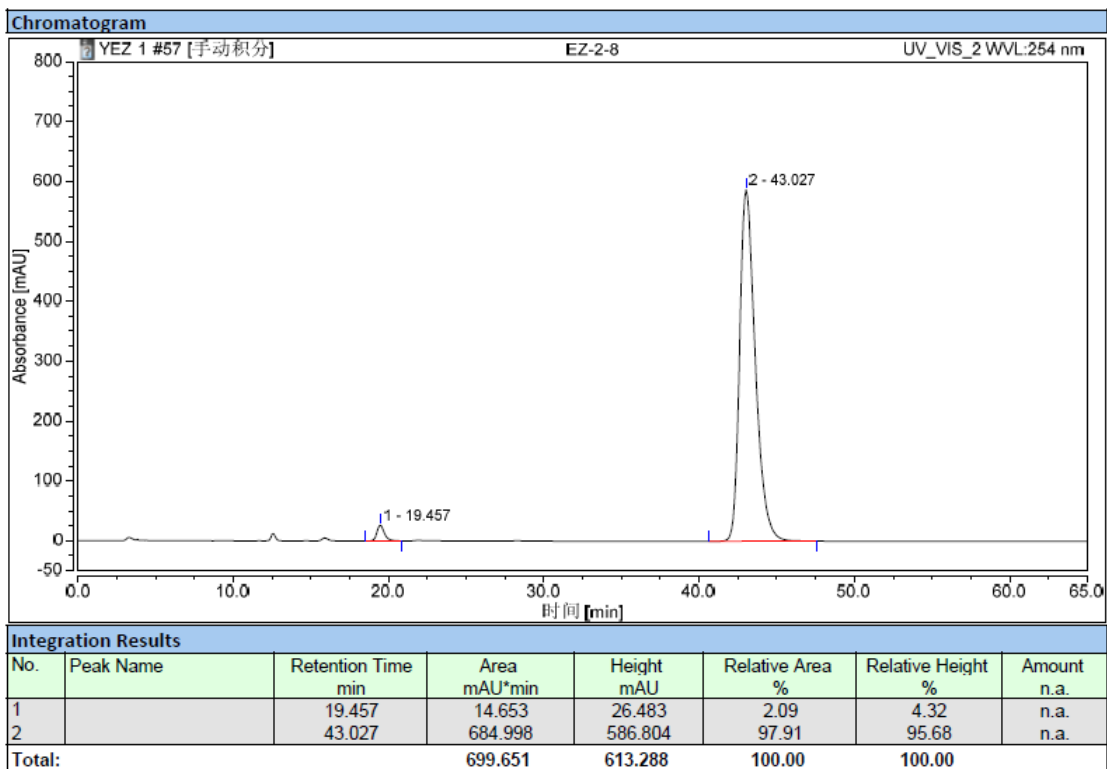
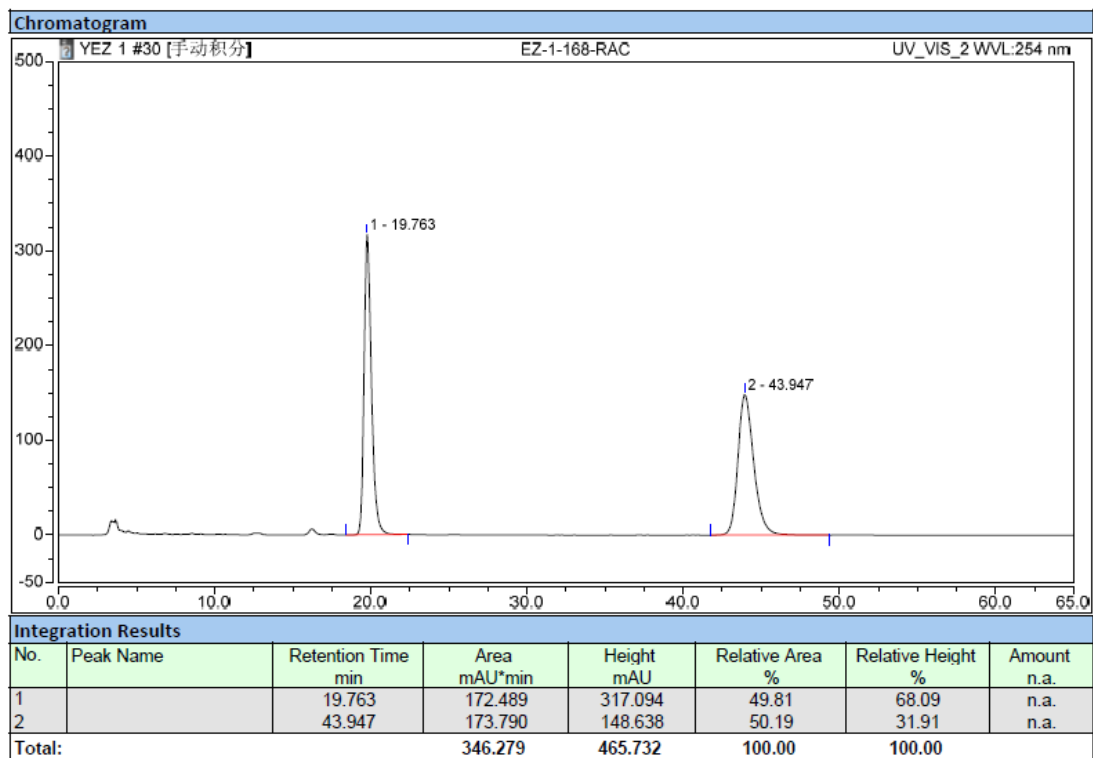
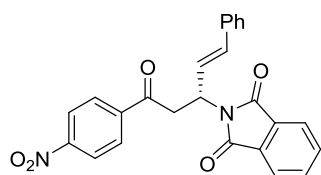
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.750	289.864	1209.882	50.05	68.73	n.a.
2		21.020	289.250	550.540	49.95	31.27	n.a.
Total:			579.114	1760.422	100.00	100.00	



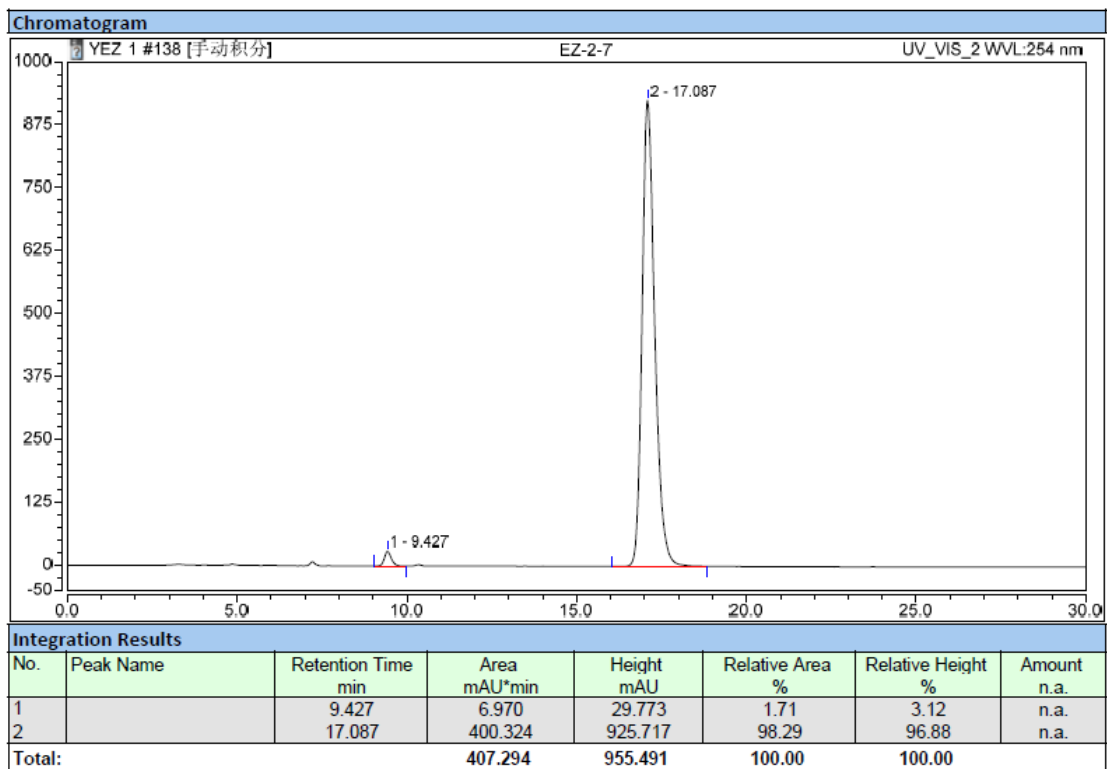
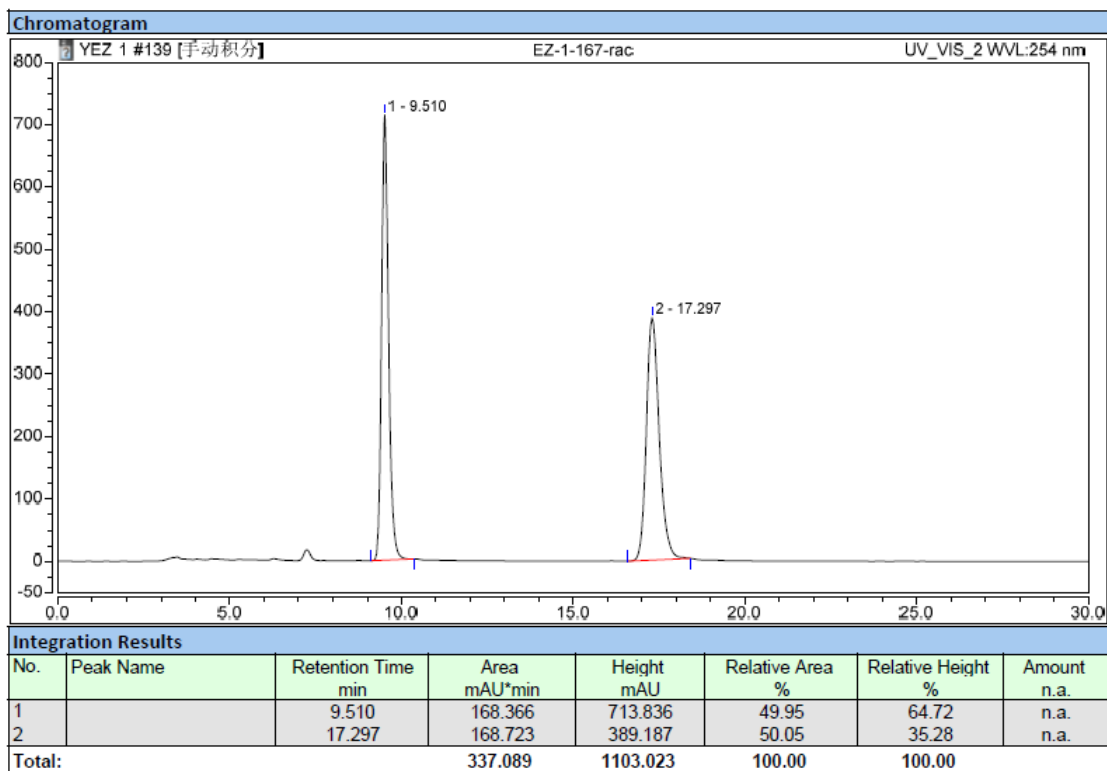
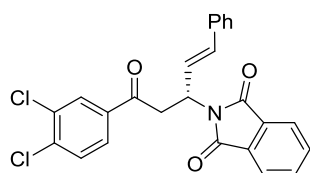
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.403	3.159	13.874	0.83	1.82	n.a.
2		19.283	378.218	747.291	99.17	98.18	n.a.
Total:			381.377	761.165	100.00	100.00	

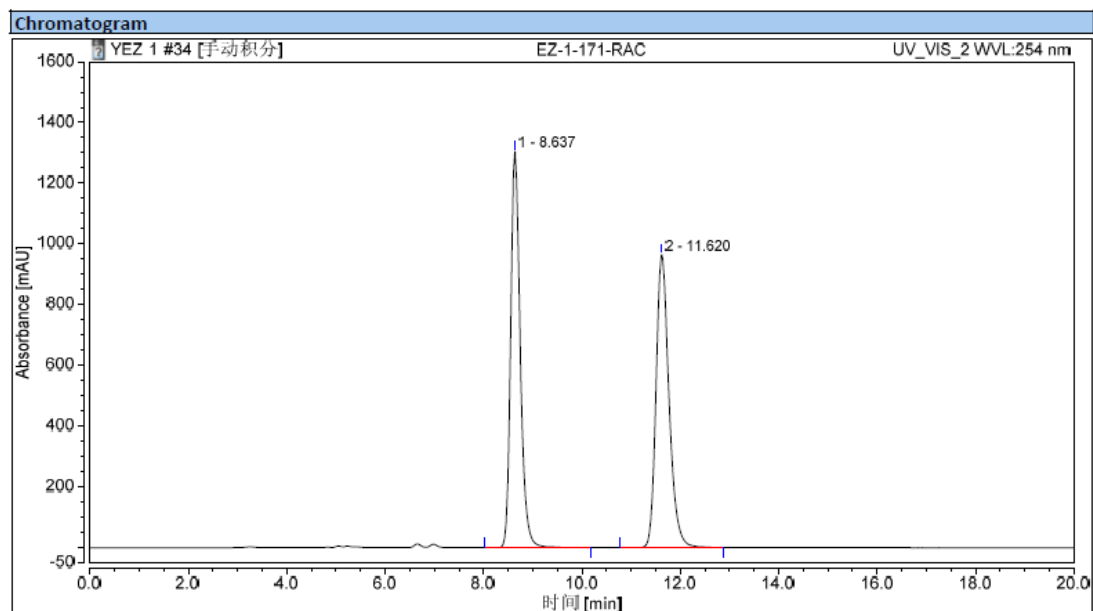
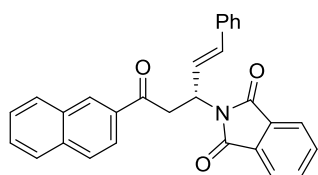
Compound 3la



Compound 3ma

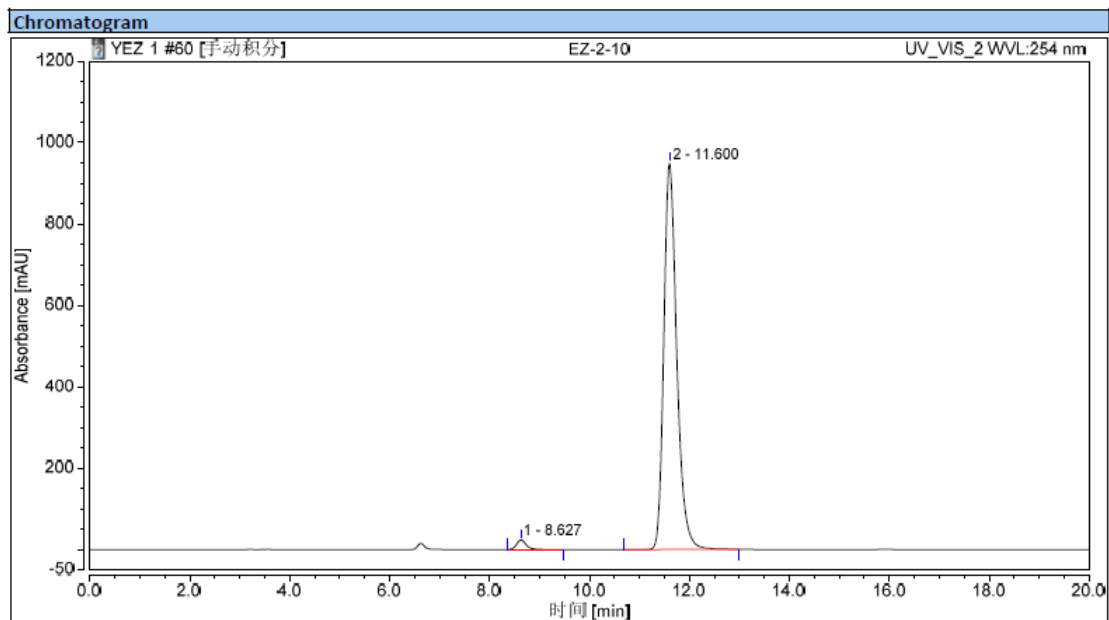


Compound 3na



Integration Results

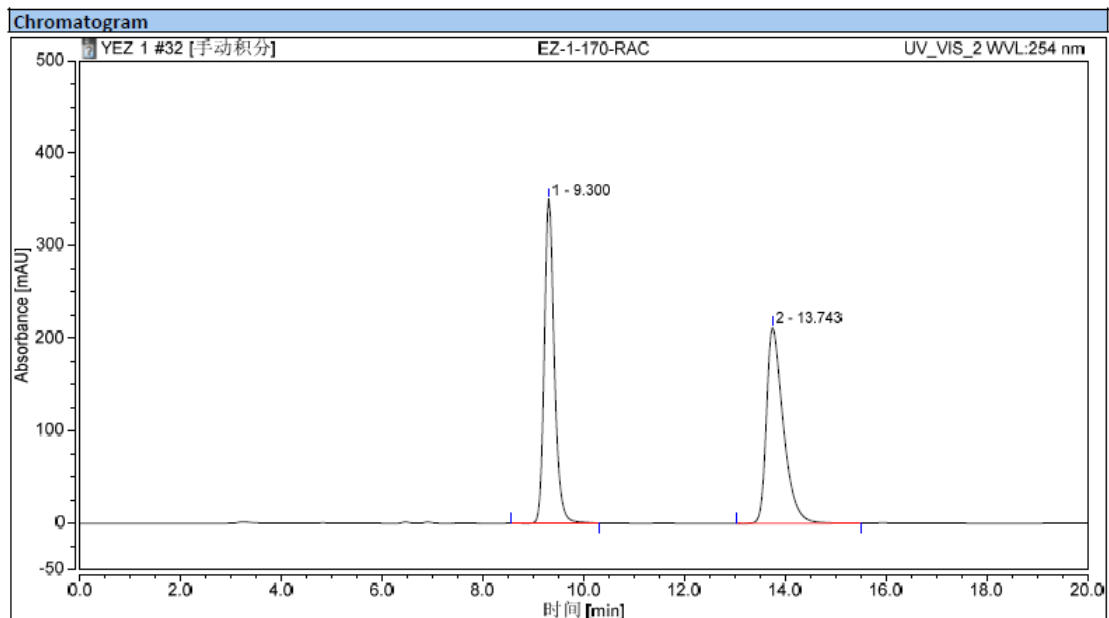
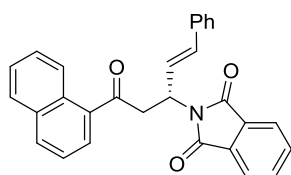
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.637	291.465	1302.837	49.77	57.47	n.a.
2		11.620	294.115	964.270	50.23	42.53	n.a.
Total:			585.580	2267.107	100.00	100.00	



Integration Results

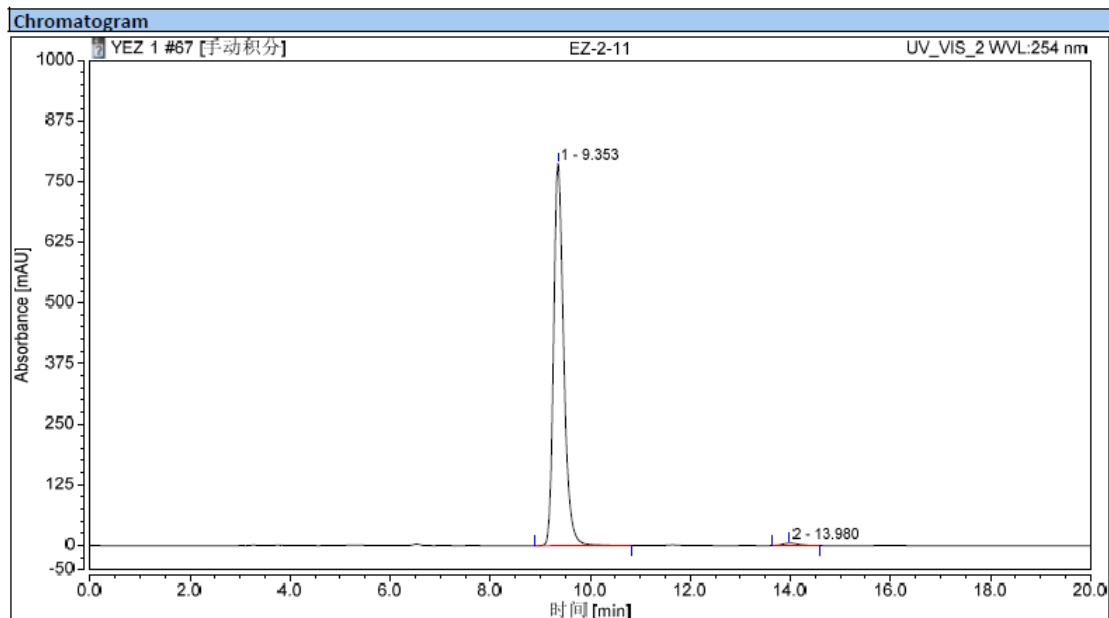
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.627	5.260	23.736	1.82	2.44	n.a.
2		11.600	283.603	948.826	98.18	97.56	n.a.
Total:			288.863	972.562	100.00	100.00	

Compound 30a



Integration Results

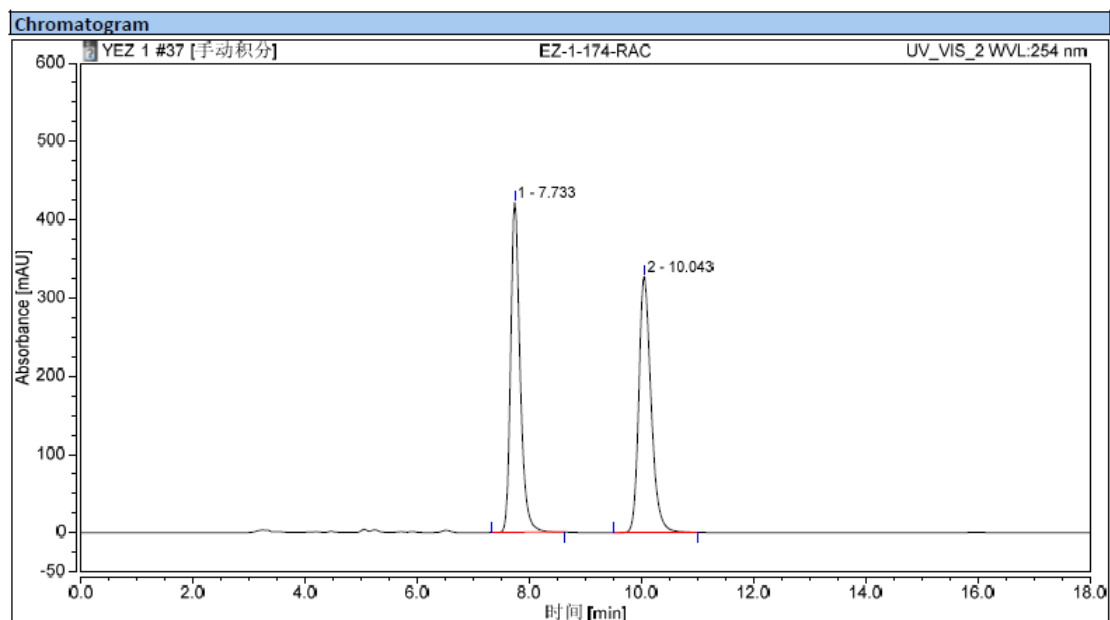
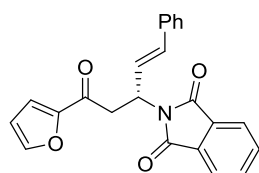
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.300	81.416	350.290	50.02	62.35	n.a.
2		13.743	81.339	211.500	49.98	37.65	n.a.
Total:			162.754	561.790	100.00	100.00	



Integration Results

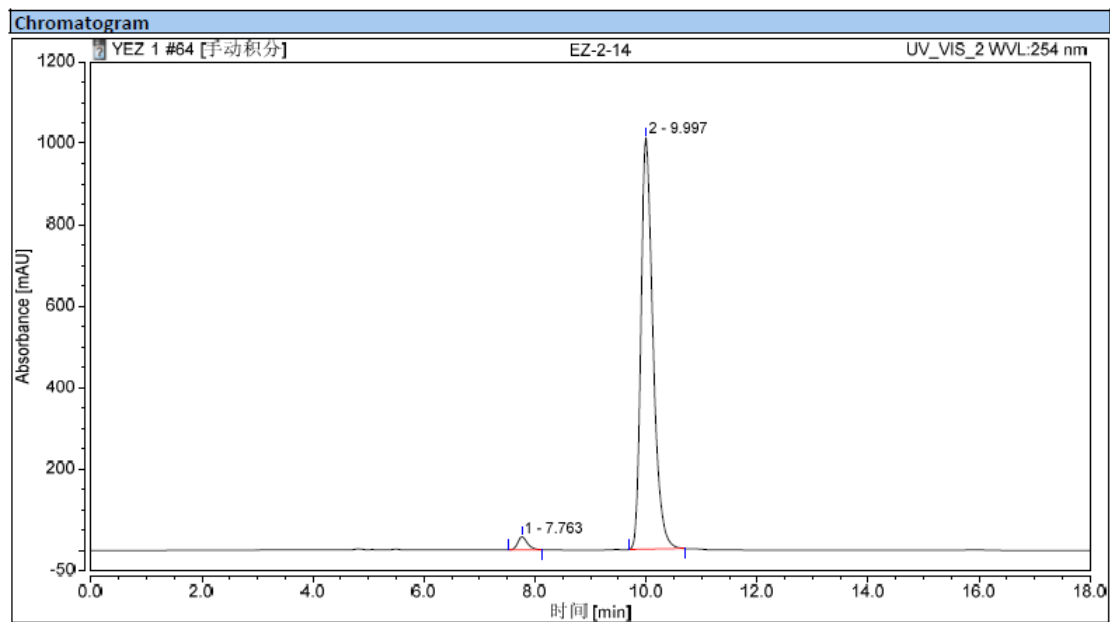
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.353	182.985	787.632	98.98	99.35	n.a.
2		13.980	1.883	5.175	1.02	0.65	n.a.
Total:			184.868	792.807	100.00	100.00	

Compound 3pa



Integration Results

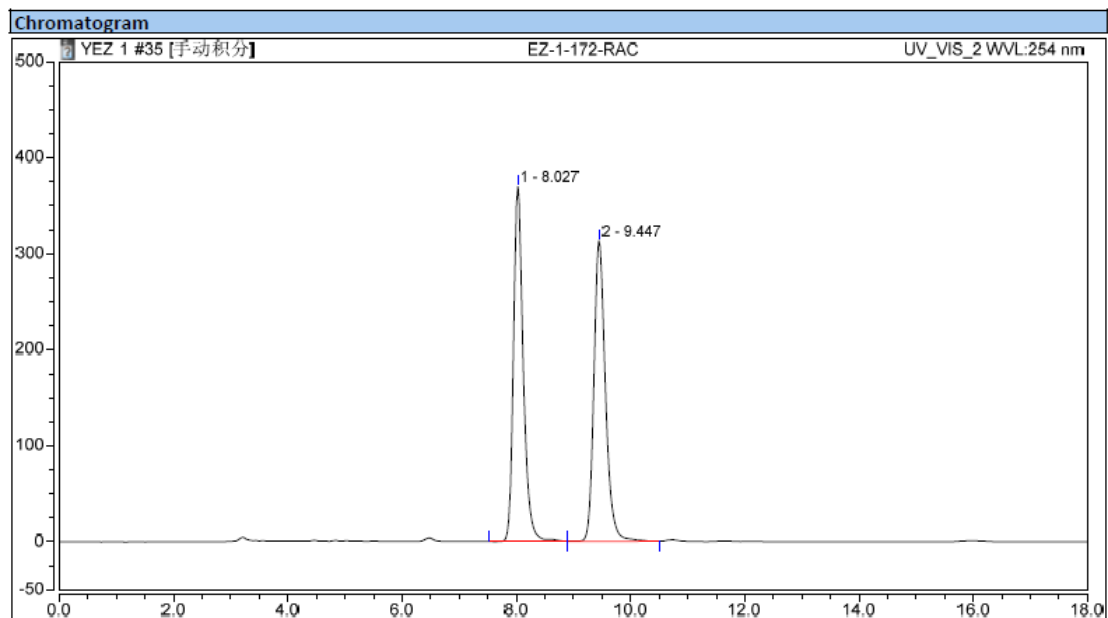
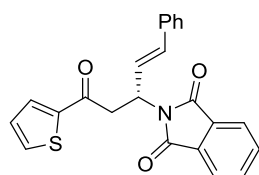
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.733	82.584	421.542	49.92	56.29	n.a.
2		10.043	82.845	327.273	50.08	43.71	n.a.
Total:			165.429	748.815	100.00	100.00	



Integration Results

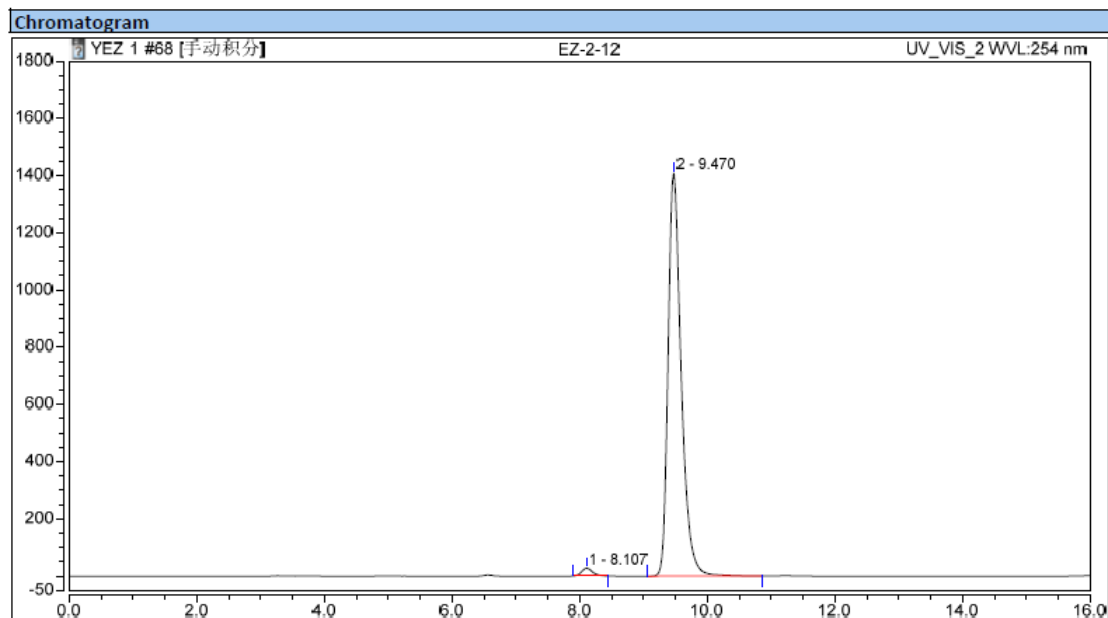
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.763	6.515	32.171	2.51	3.09	n.a.
2		9.997	252.765	1009.858	97.49	96.91	n.a.
Total:			259.280	1042.029	100.00	100.00	

Compound 3qa



Integration Results

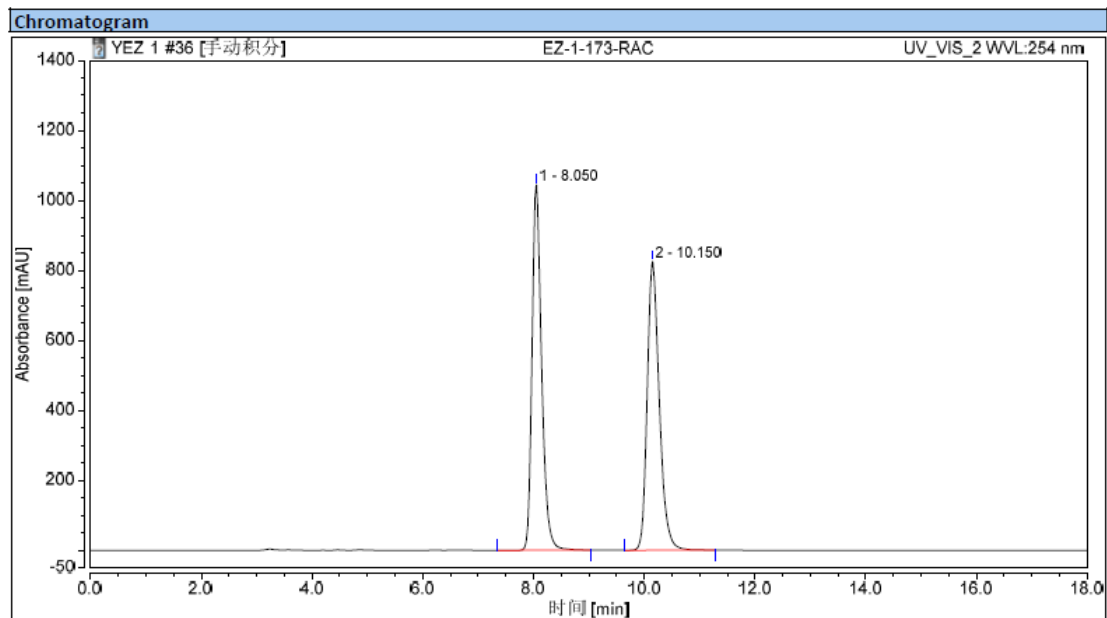
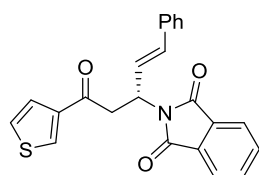
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.027	73.276	369.726	49.88	54.13	n.a.
2		9.447	73.625	313.252	50.12	45.87	n.a.
Total:			146.901	682.978	100.00	100.00	



Integration Results

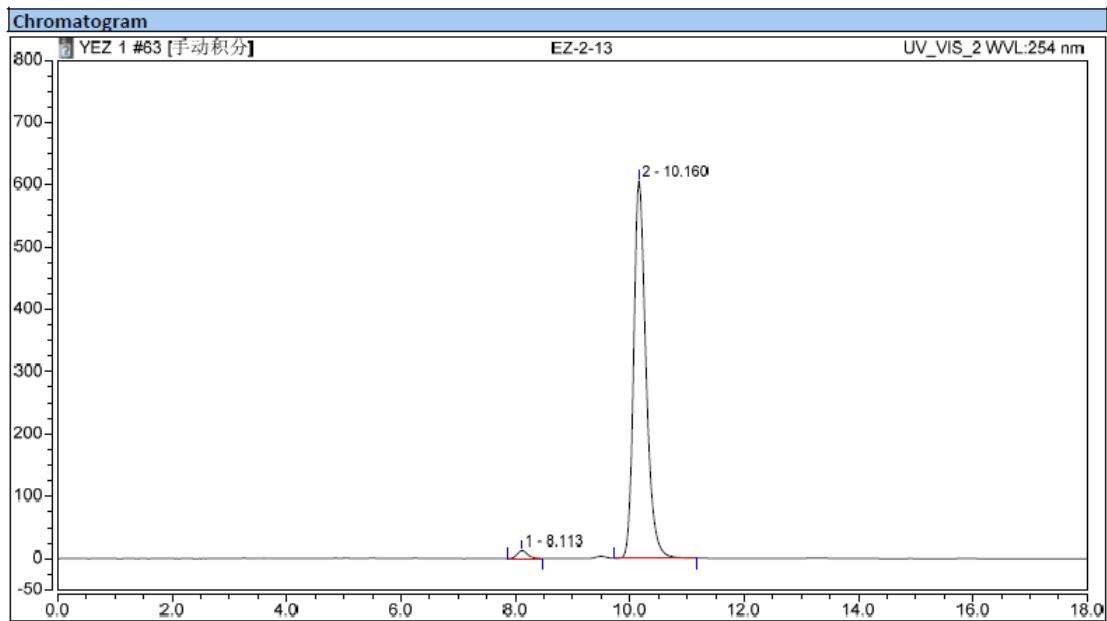
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.107	5.351	27.115	1.58	1.89	n.a.
2		9.470	333.501	1407.911	98.42	98.11	n.a.
Total:			338.851	1435.025	100.00	100.00	

Compound 3ra



Integration Results

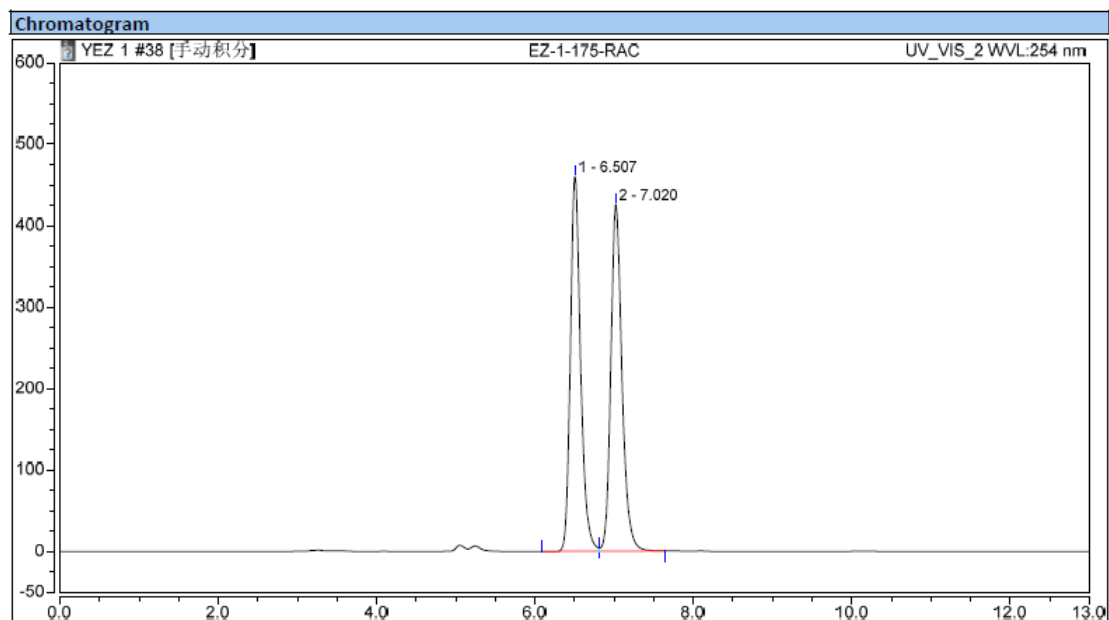
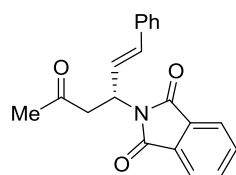
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.050	208.448	1043.847	49.98	55.86	n.a.
2		10.150	208.625	824.739	50.02	44.14	n.a.
Total:			417.073	1868.586	100.00	100.00	



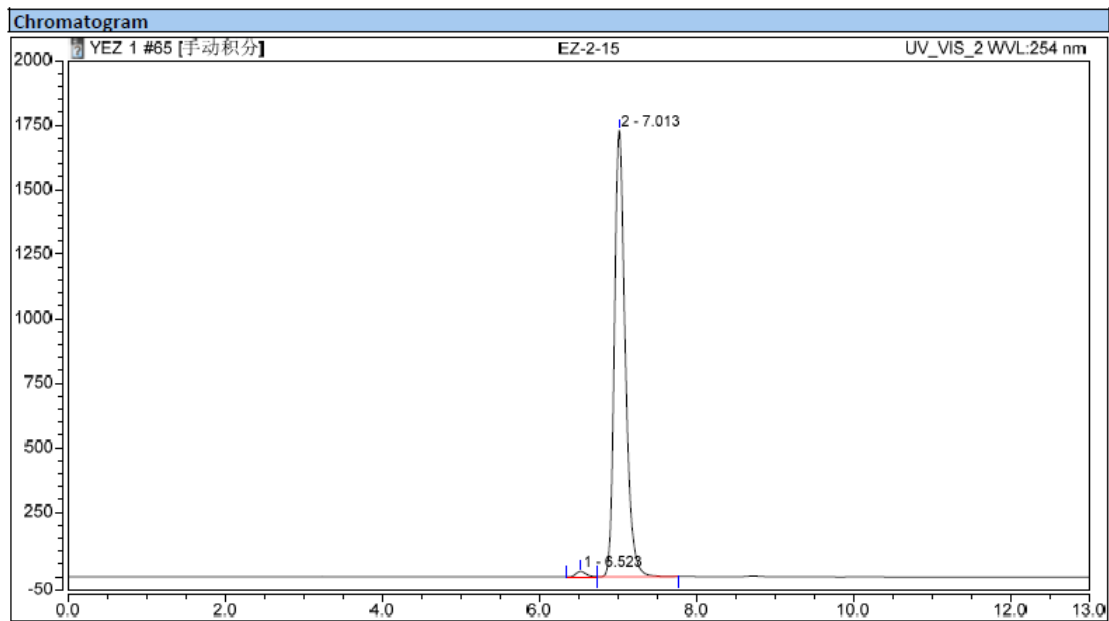
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.113	2.560	12.900	1.66	2.08	n.a.
2		10.160	151.292	605.891	98.34	97.92	n.a.
Total:			153.853	618.791	100.00	100.00	

Compound 3sa

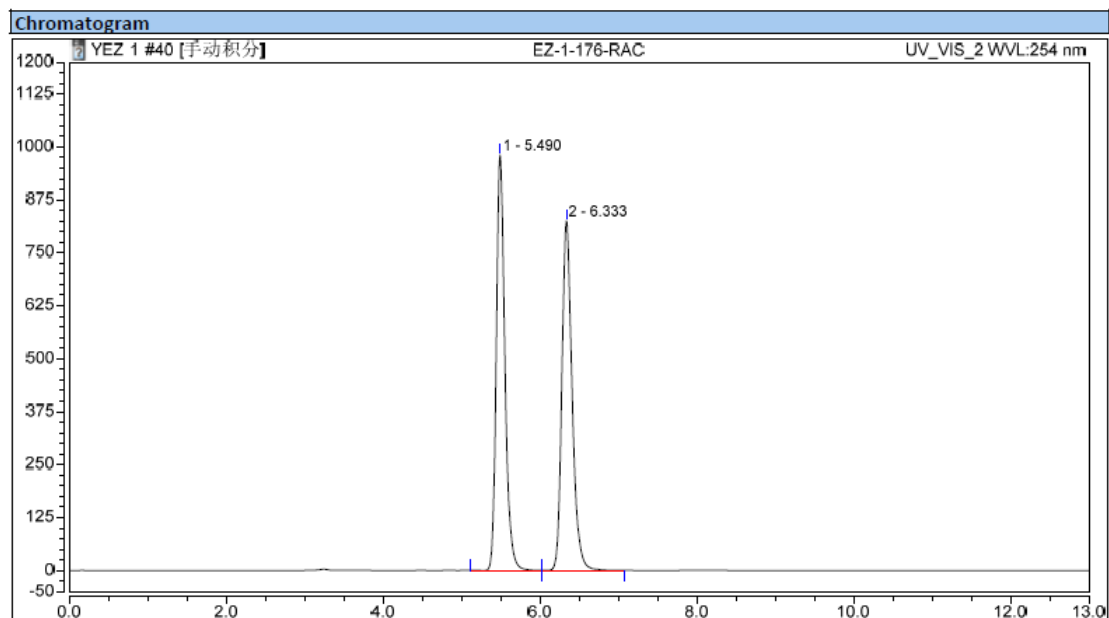
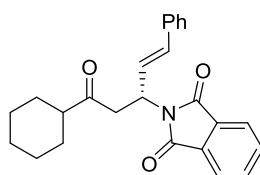


No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.507	68.775	460.394	49.77	51.95	n.a.
2		7.020	69.412	425.770	50.23	48.05	n.a.
Total:			138.187	886.164	100.00	100.00	



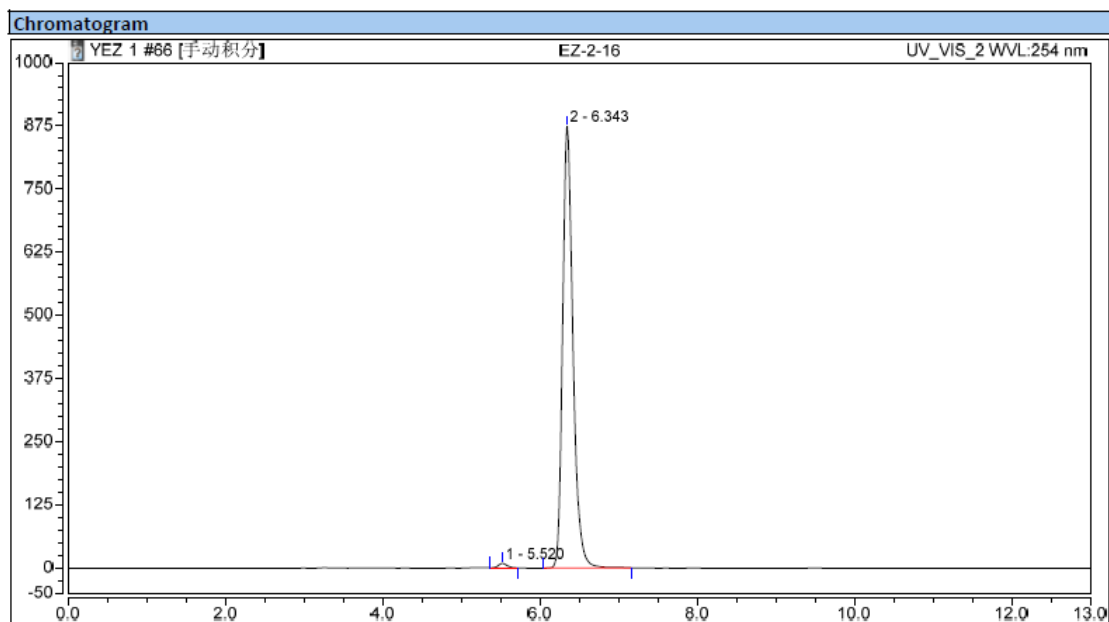
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.523	3.178	21.541	1.13	1.23	n.a.
2		7.013	277.606	1729.628	98.87	98.77	n.a.
Total:			280.783	1751.169	100.00	100.00	

Compound 3ta



Integration Results

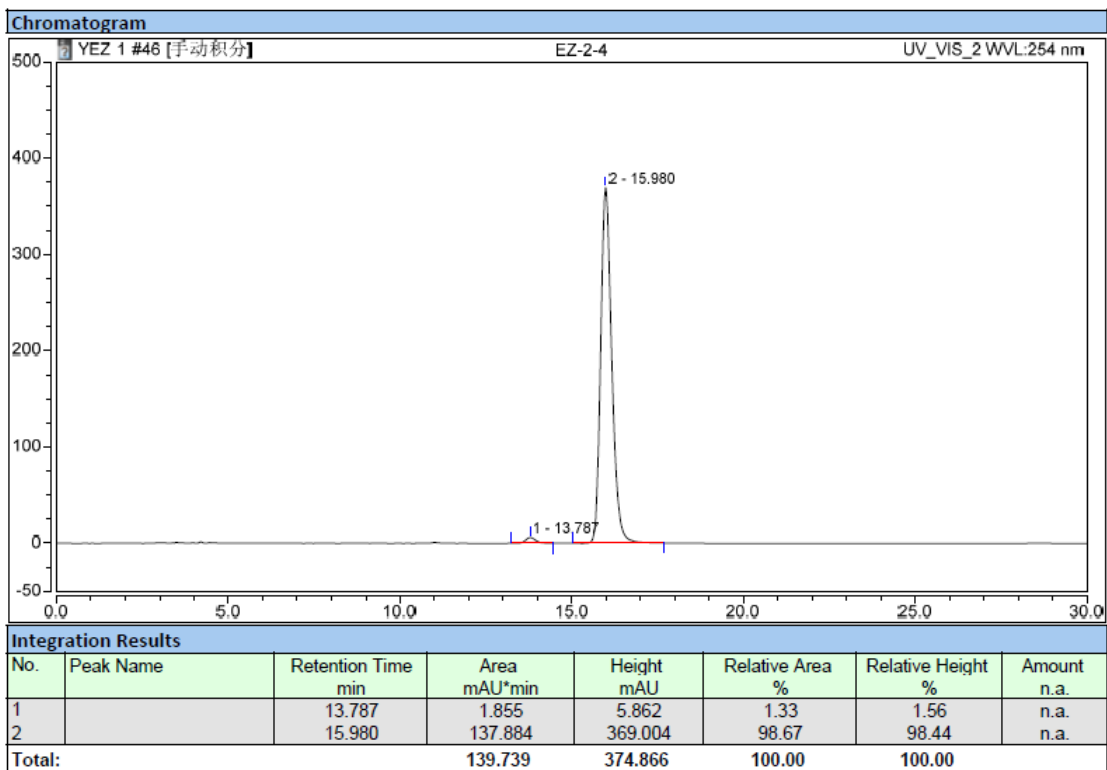
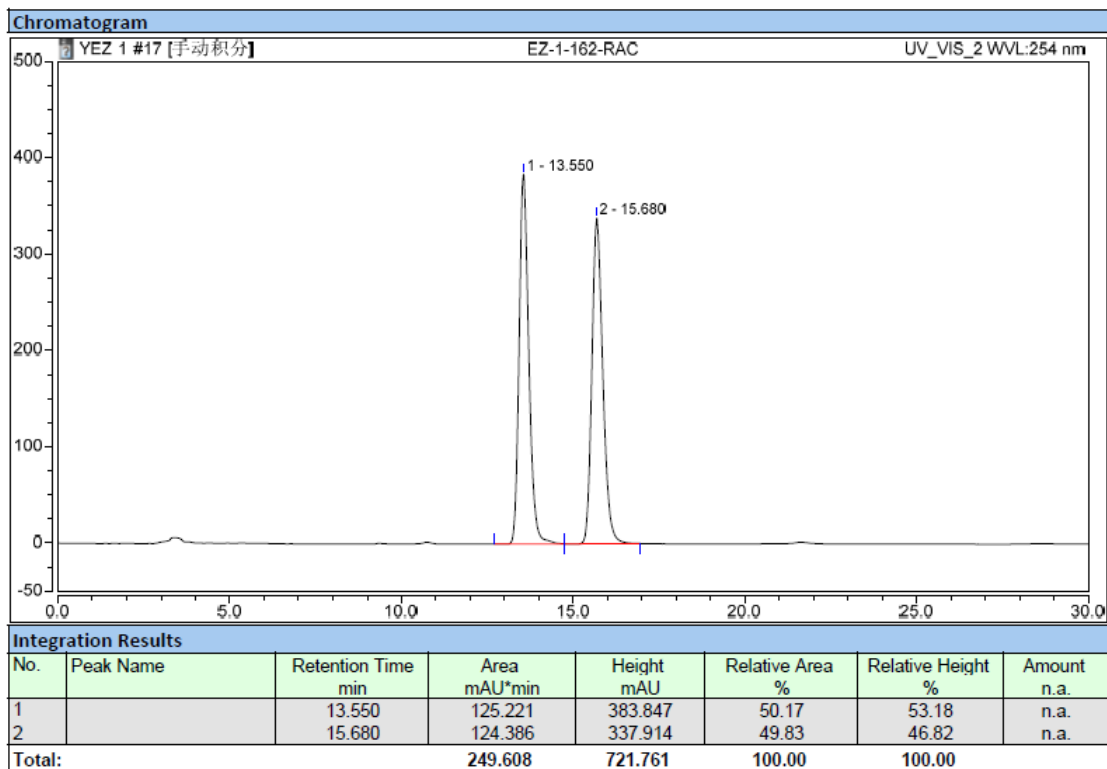
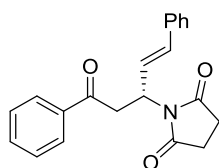
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.490	124.162	980.770	49.97	54.31	n.a.
2		6.333	124.323	825.074	50.03	45.69	n.a.
Total:			248.485	1805.844	100.00	100.00	



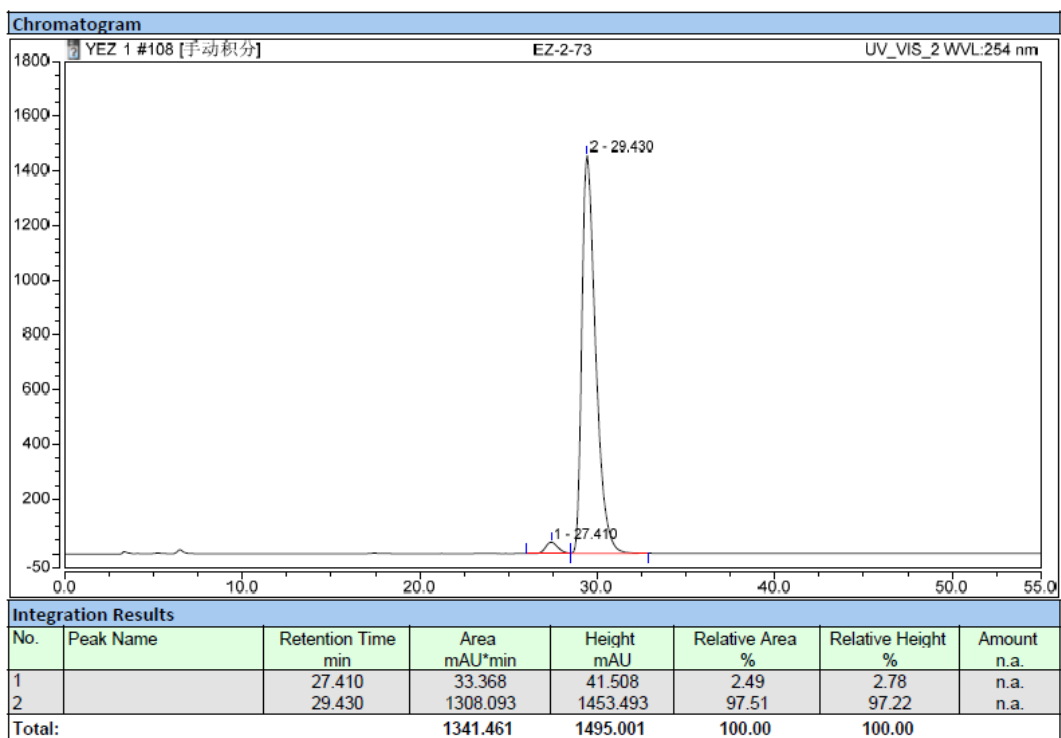
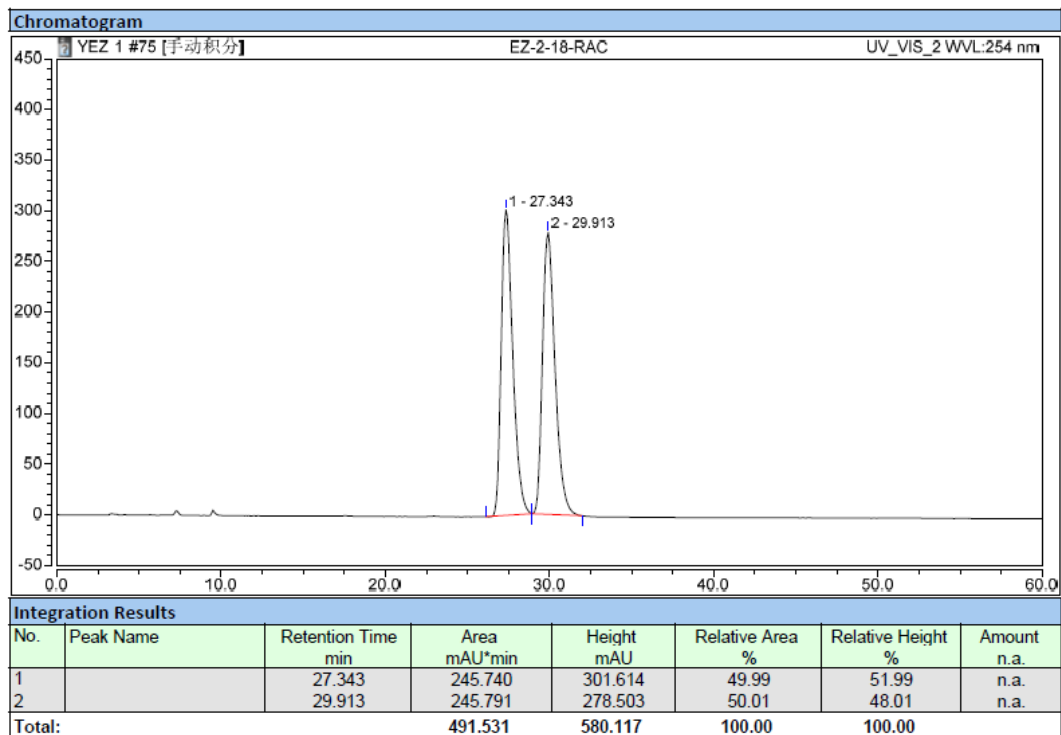
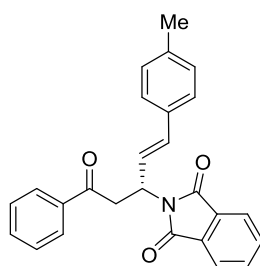
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.520	1.081	8.771	0.81	0.99	n.a.
2		6.343	133.147	873.634	99.19	99.01	n.a.
Total:			134.227	882.405	100.00	100.00	

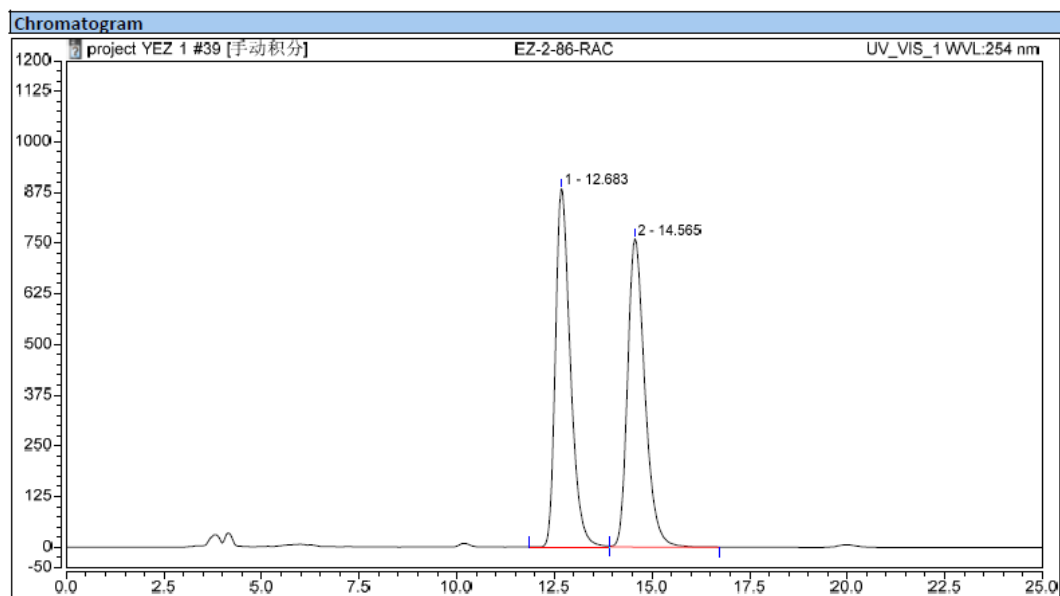
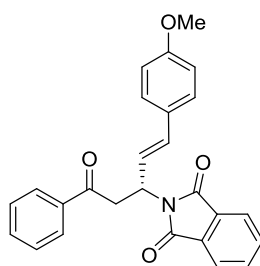
Compound 3ua



Compound 3ab

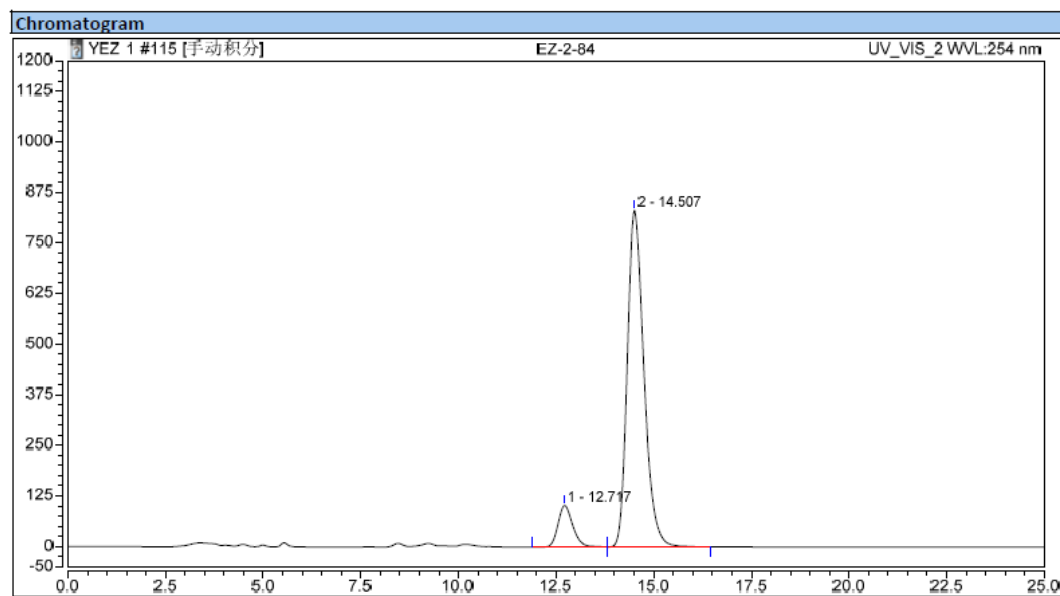


Compound 3ac



Integration Results

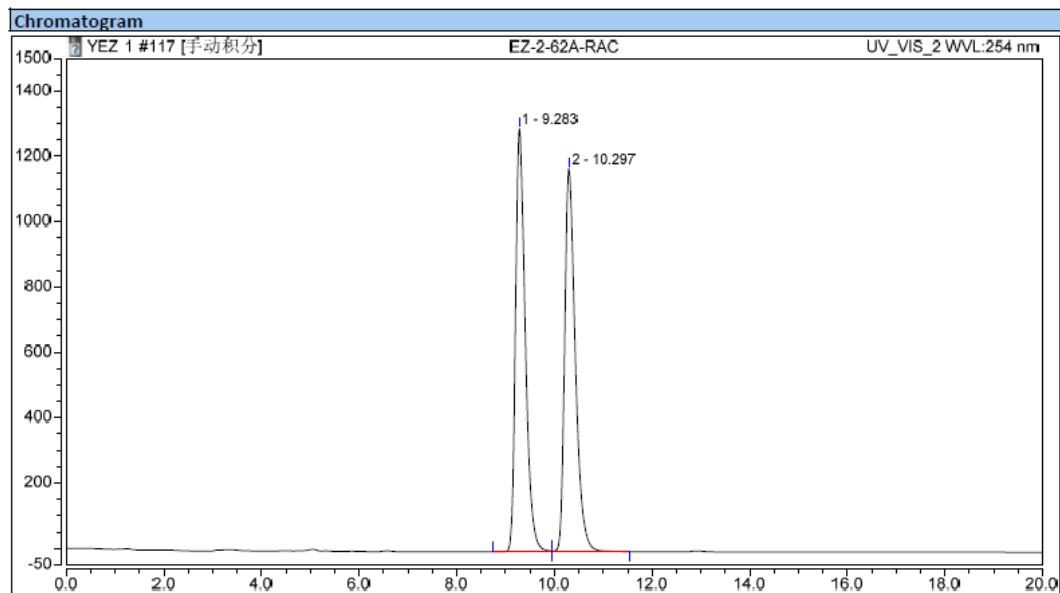
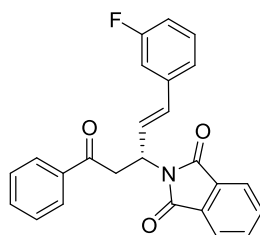
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.683	392.596	884.149	50.09	53.75	n.a.
2		14.565	391.190	760.829	49.91	46.25	n.a.
Total:			783.786	1644.978	100.00	100.00	



Integration Results

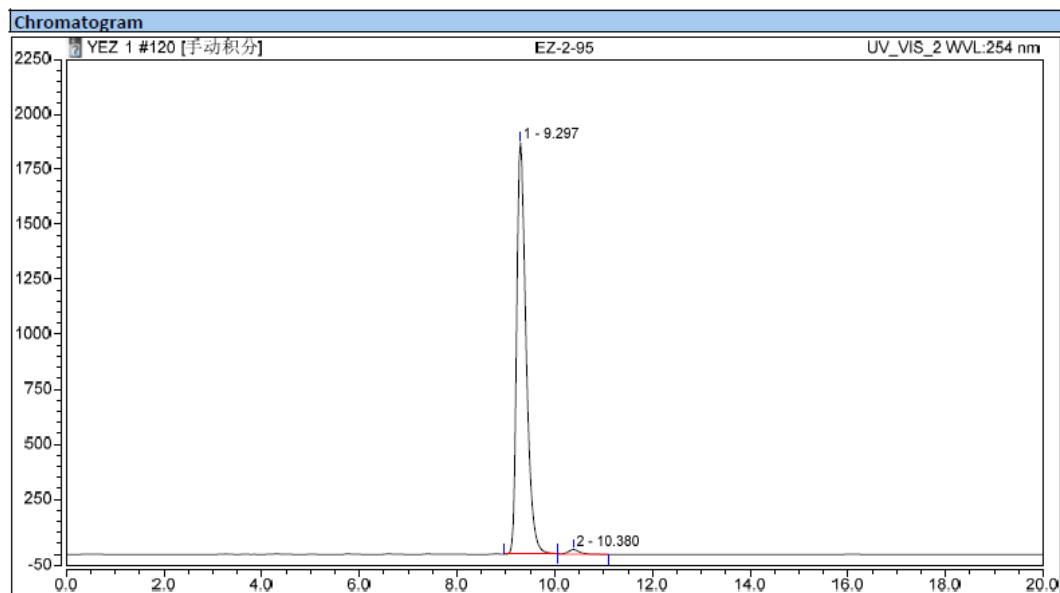
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.717	45.043	103.291	9.88	11.05	n.a.
2		14.507	410.924	831.306	90.12	88.95	n.a.
Total:			455.967	934.597	100.00	100.00	

Compound 3ad



Integration Results

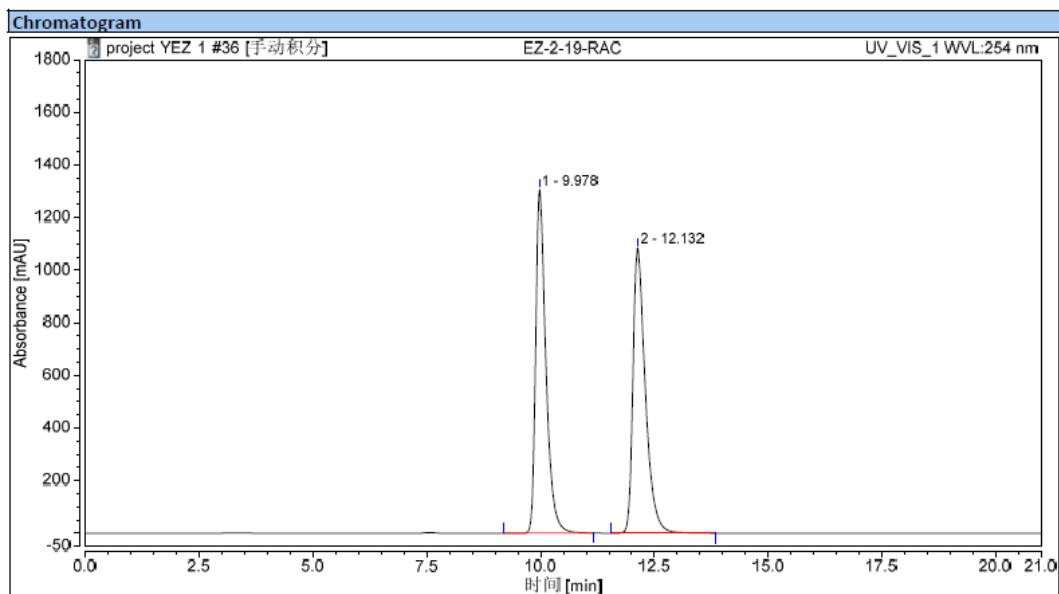
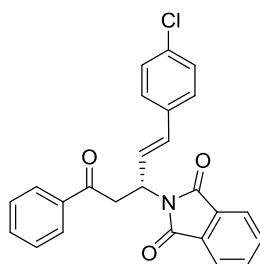
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.283	298.030	1295.934	50.03	52.46	n.a.
2		10.297	297.646	1174.310	49.97	47.54	n.a.
Total:			595.676	2470.244	100.00	100.00	



Integration Results

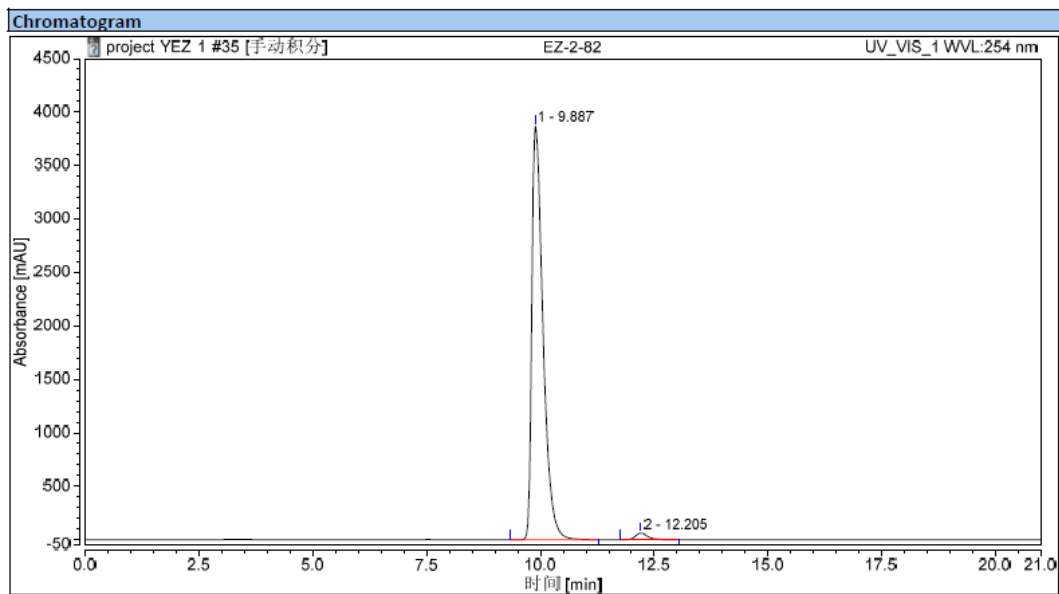
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.297	416.546	1871.591	98.60	98.86	n.a.
2		10.380	5.894	21.542	1.40	1.14	n.a.
Total:			422.440	1893.134	100.00	100.00	

Compound 3ae



Integration Results

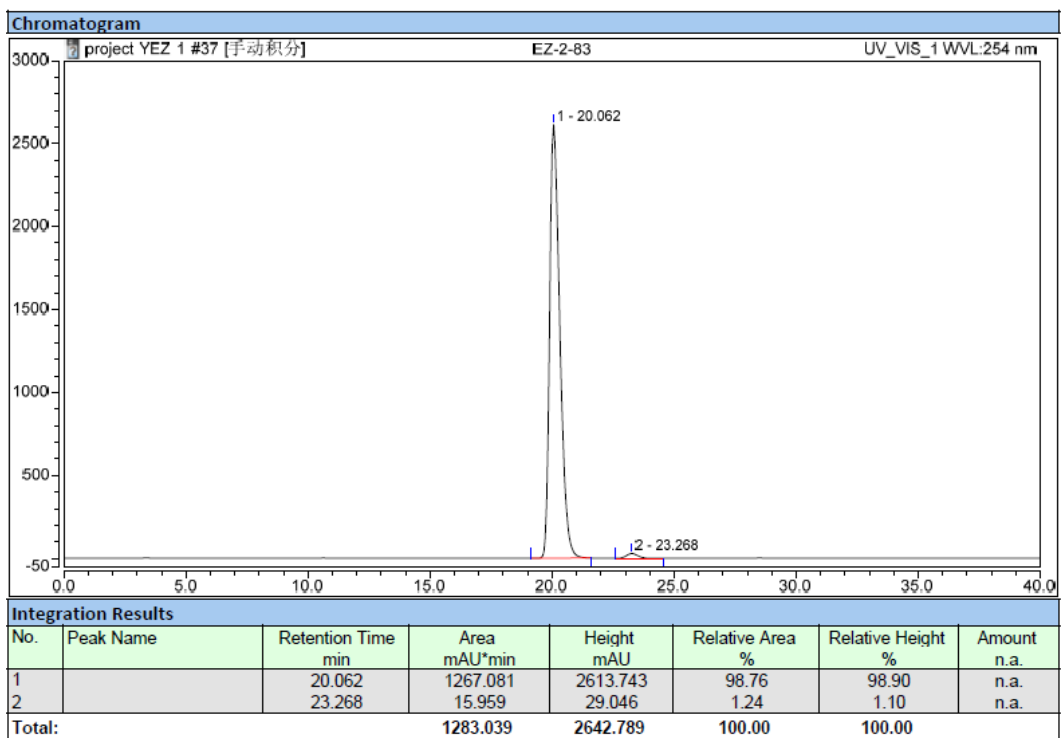
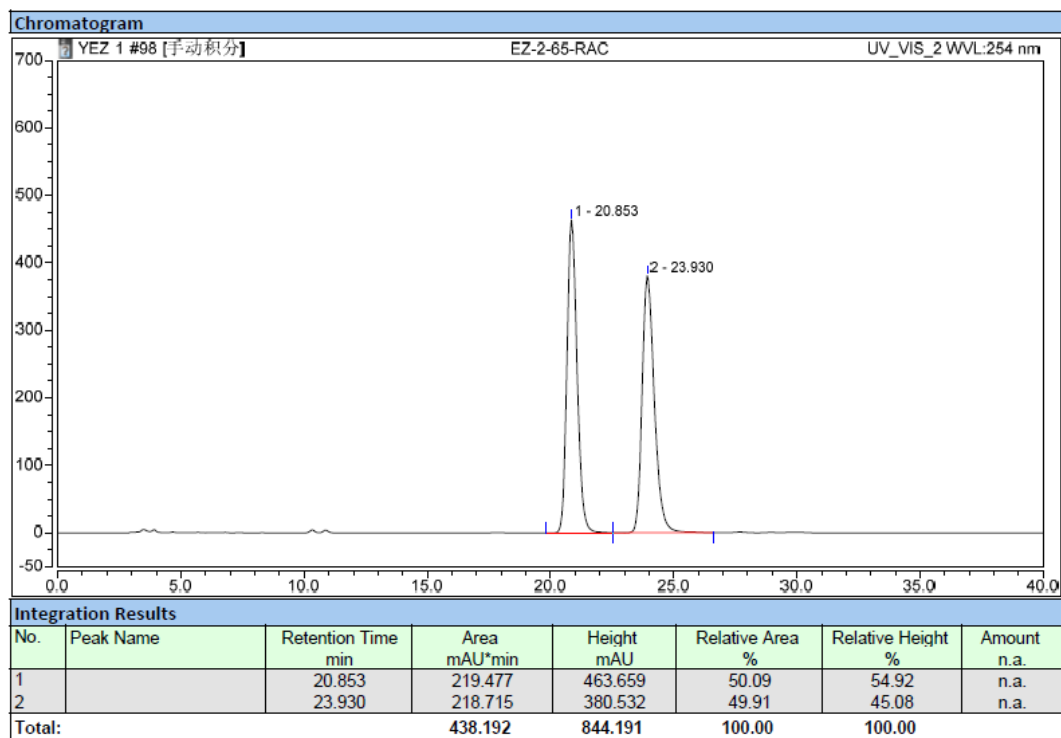
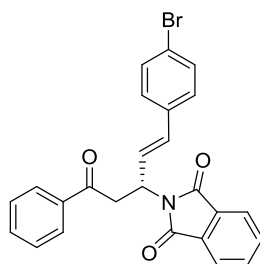
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.978	340.111	1306.697	49.90	54.65	n.a.
2		12.132	341.542	1084.183	50.10	45.35	n.a.
Total:			681.653	2390.880	100.00	100.00	



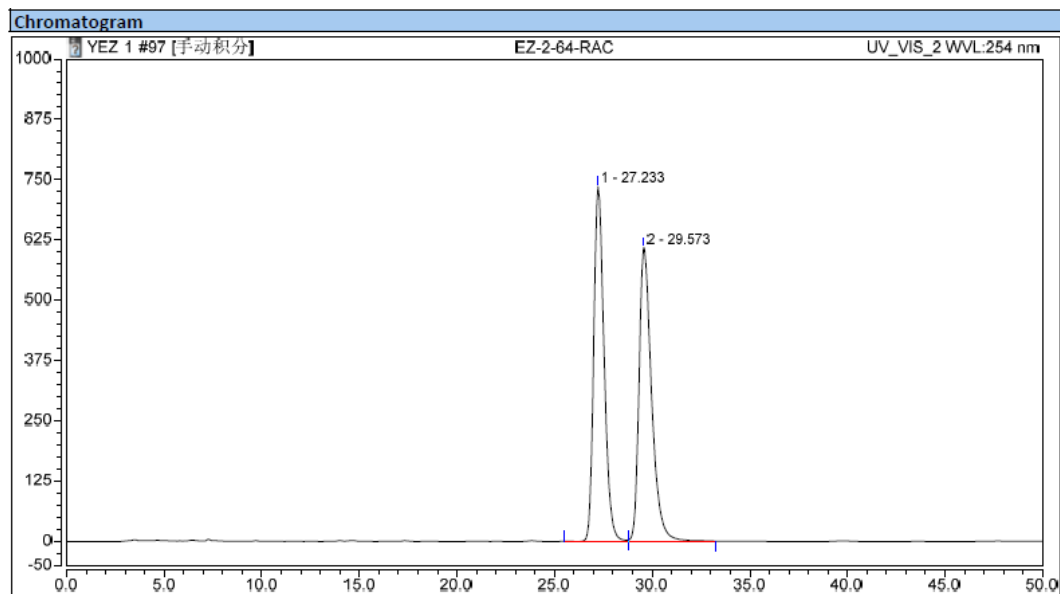
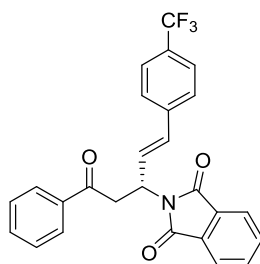
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.887	1107.237	3870.347	98.34	98.41	n.a.
2		12.205	18.732	62.644	1.66	1.59	n.a.
Total:			1125.969	3932.991	100.00	100.00	

Compound 3af

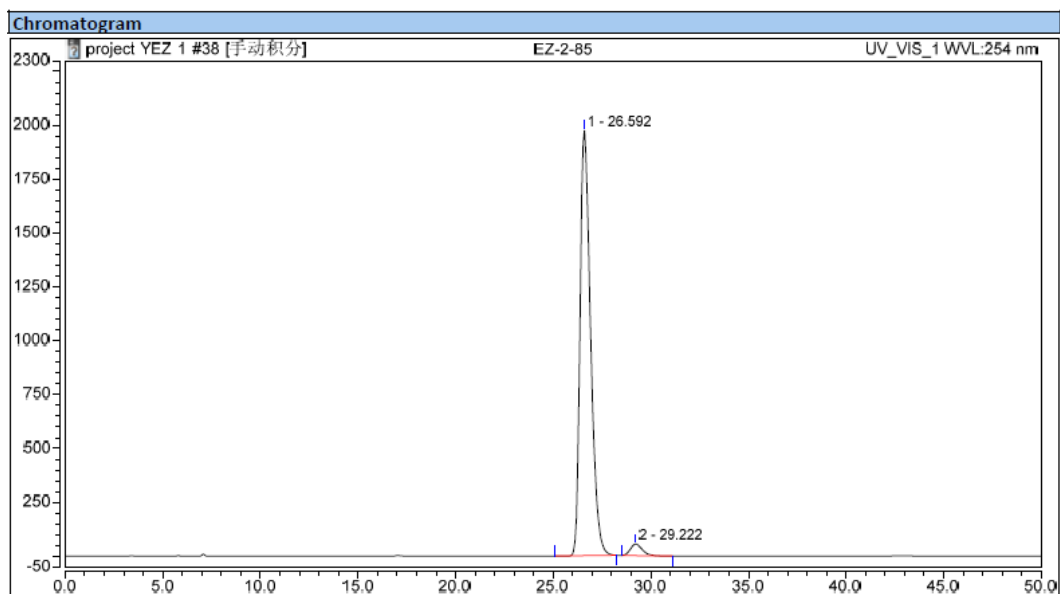


Compound 3ag



Integration Results

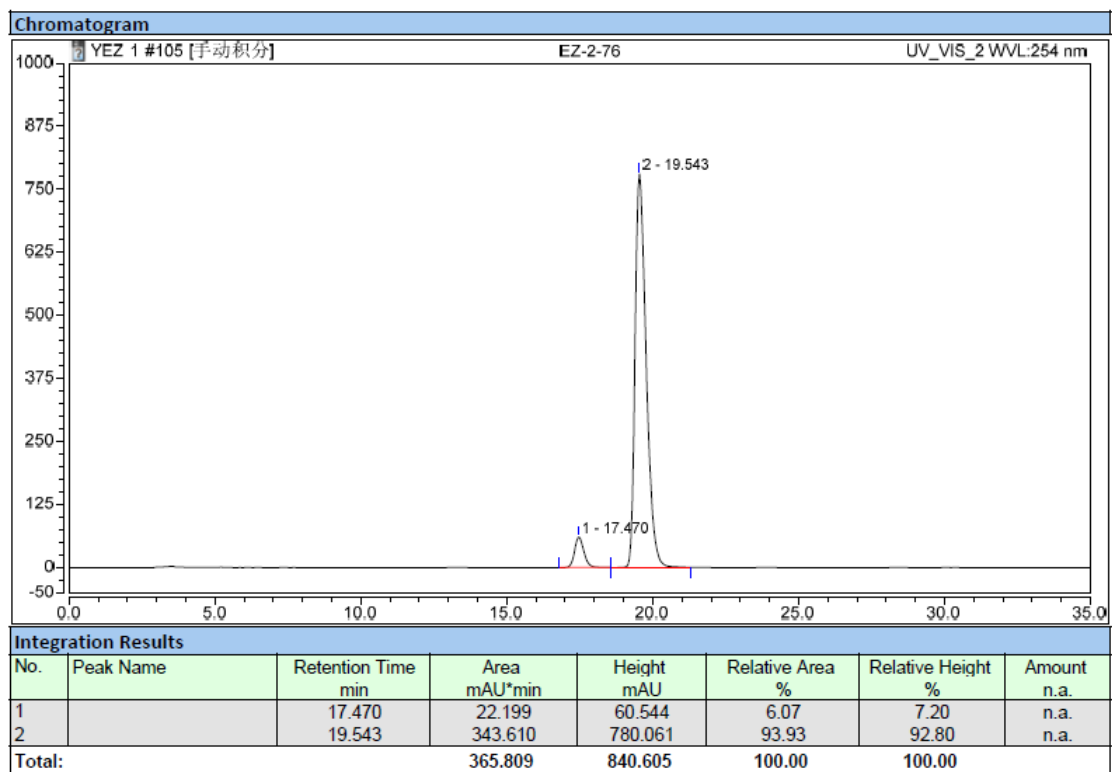
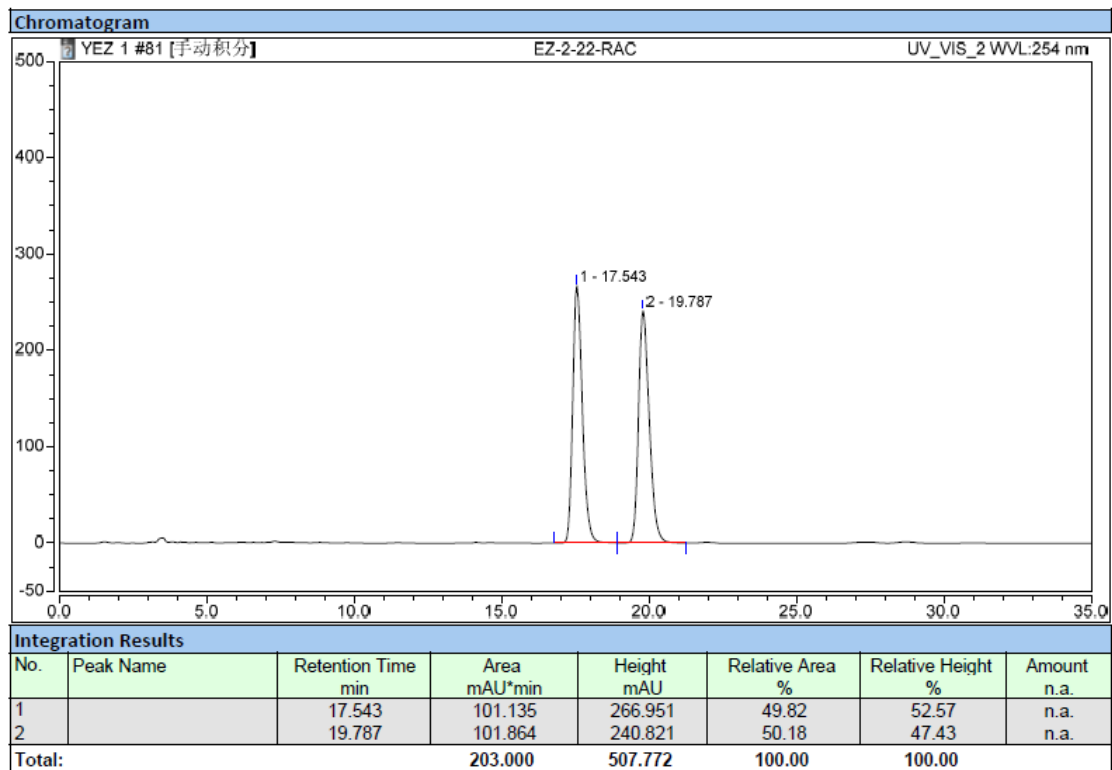
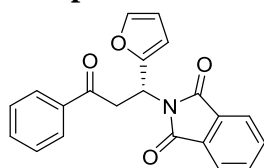
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		27.233	450.012	735.458	49.92	54.71	n.a.
2		29.573	451.493	608.921	50.08	45.29	n.a.
Total:			901.504	1344.379	100.00	100.00	



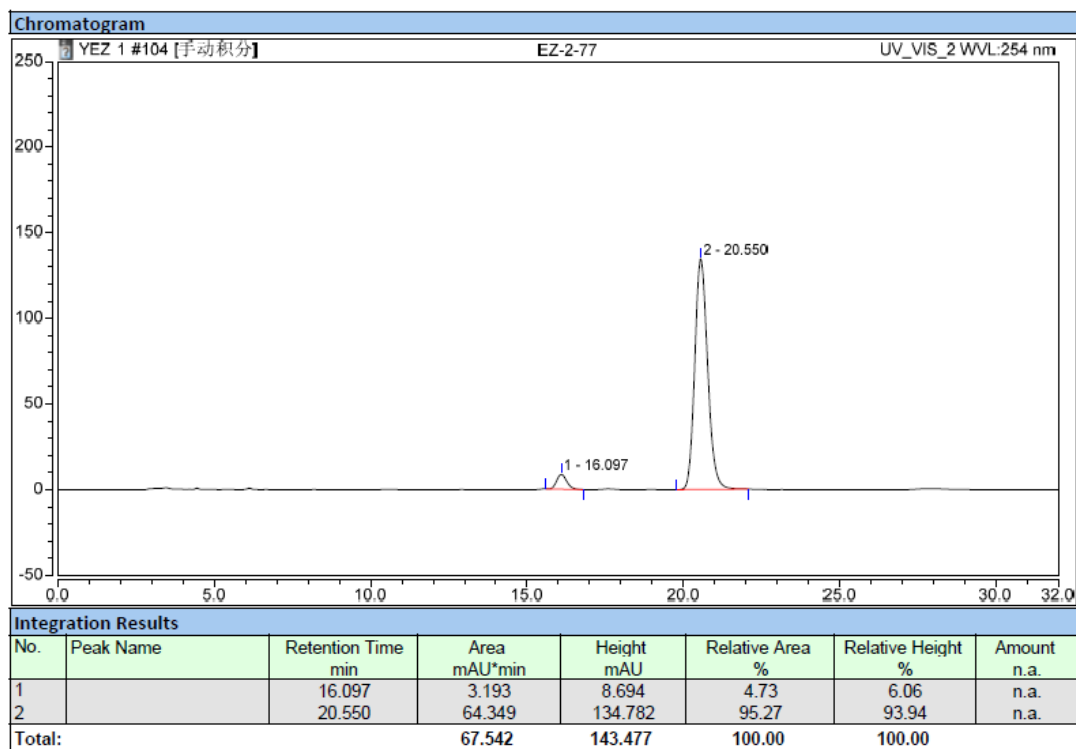
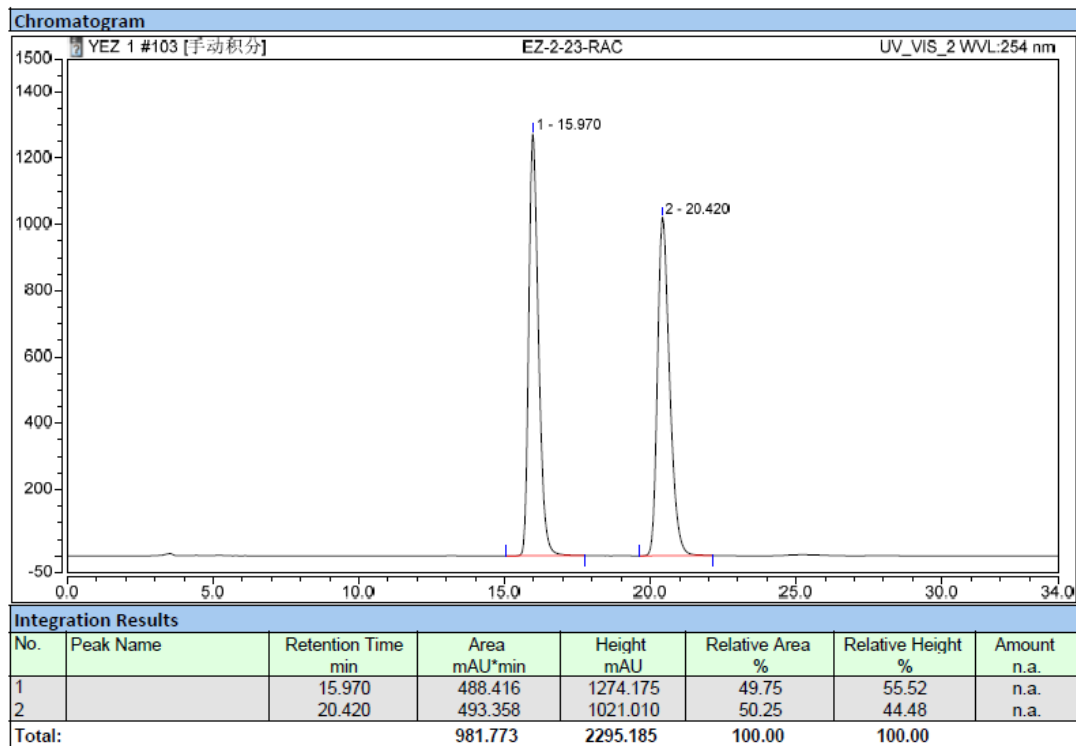
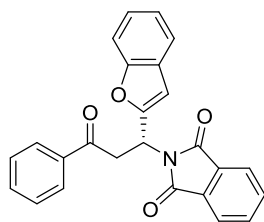
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		26.592	1228.539	1972.241	97.01	97.34	n.a.
2		29.222	37.853	53.875	2.99	2.66	n.a.
Total:			1266.392	2026.116	100.00	100.00	

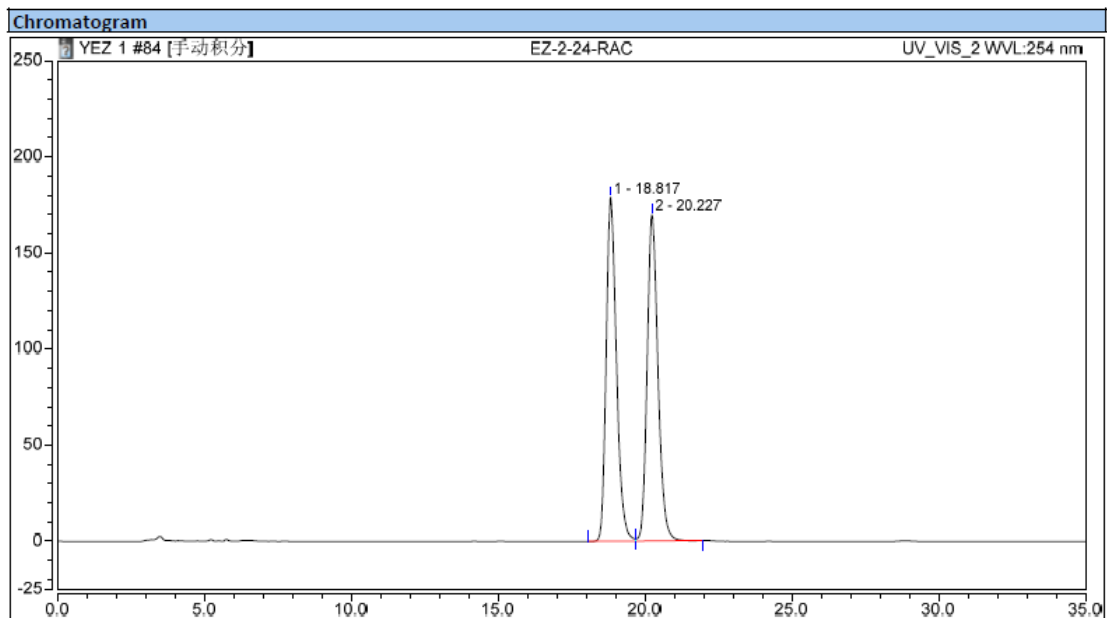
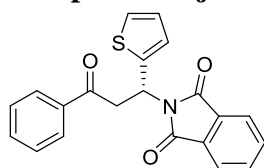
Compound 3ah



Compound 3ai

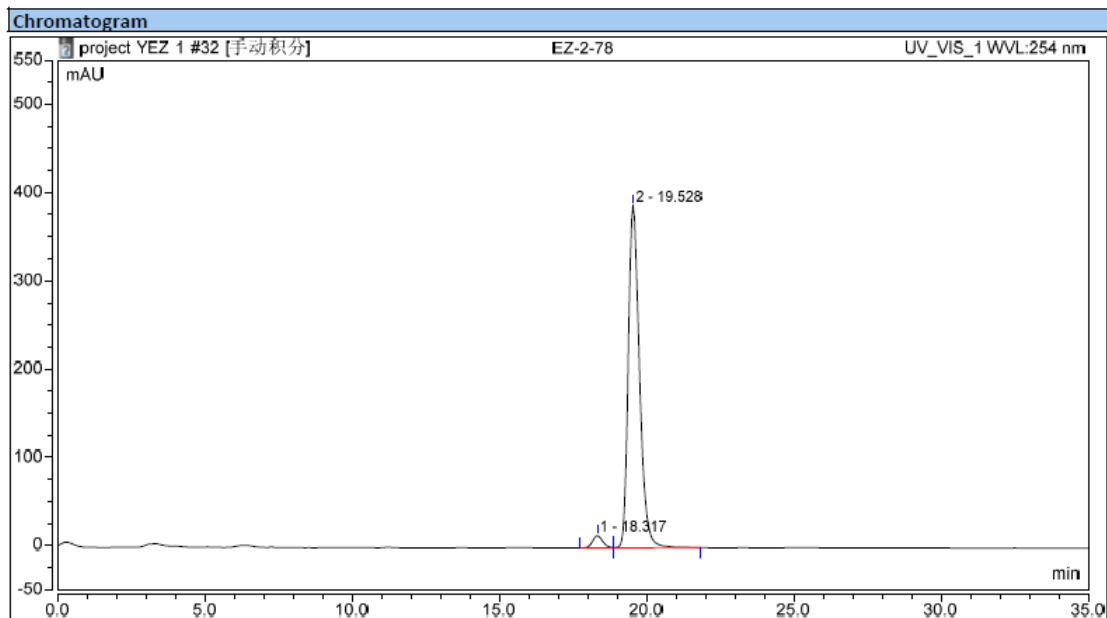


Compound 3aj



Integration Results

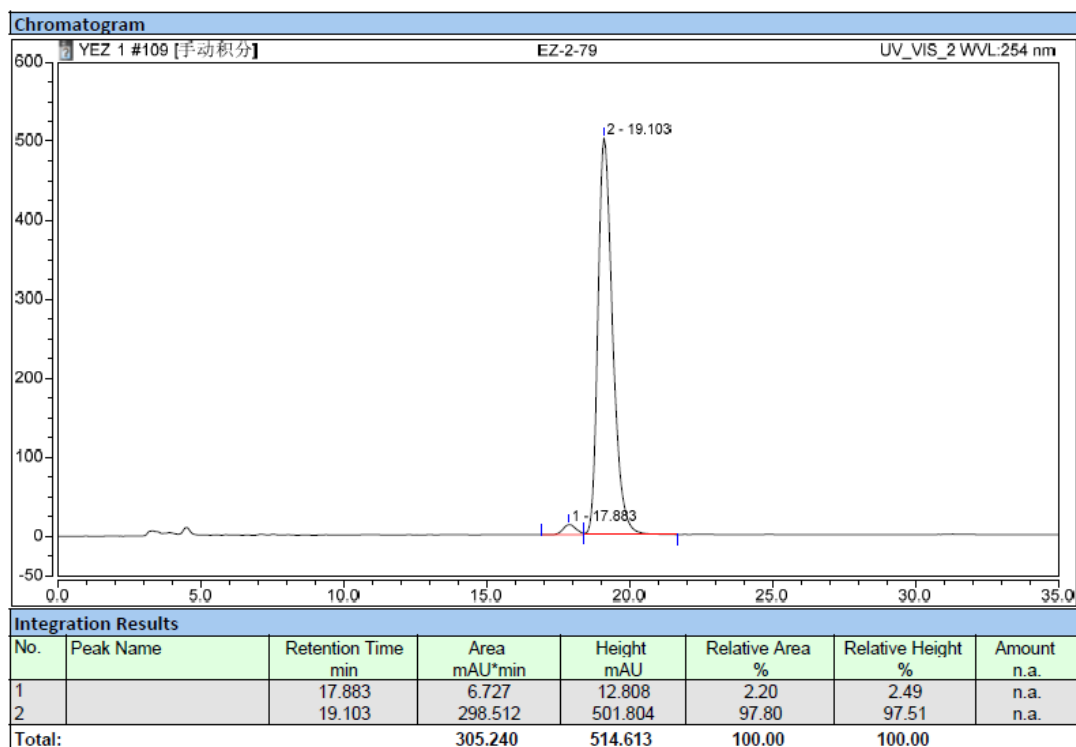
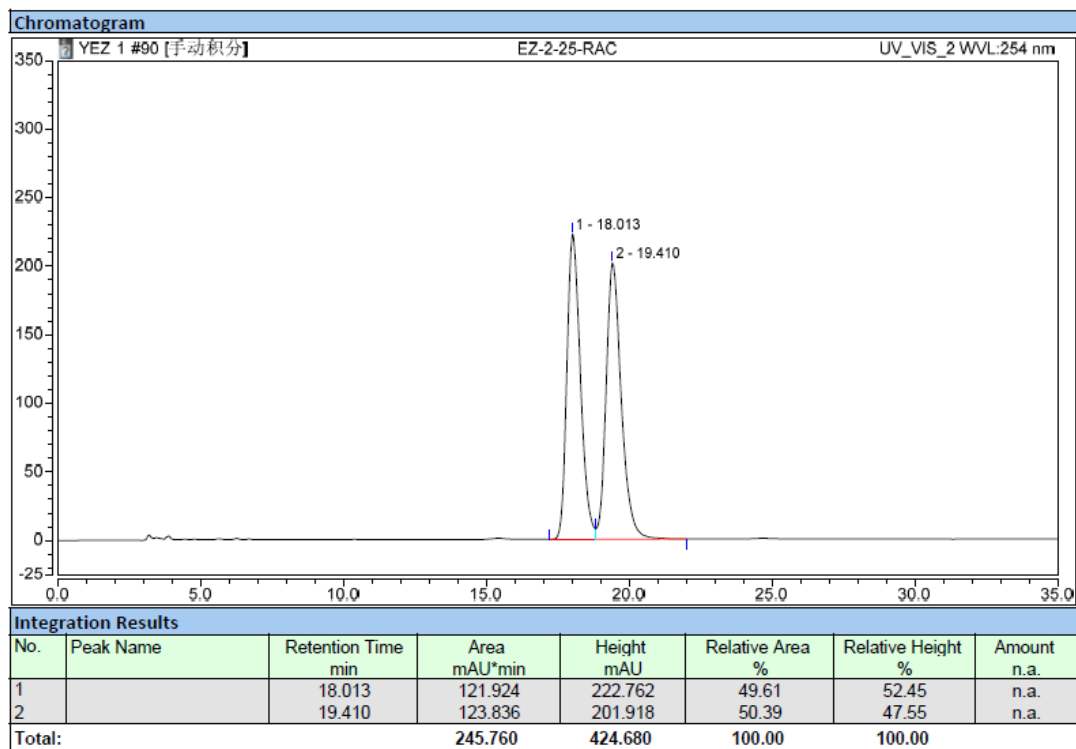
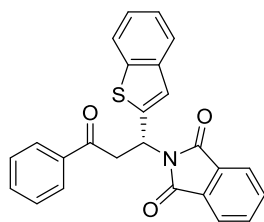
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		18.817	72.199	178.936	49.95	51.33	n.a.
2		20.227	72.339	169.654	50.05	48.67	n.a.
Total:			144.539	348.590	100.00	100.00	



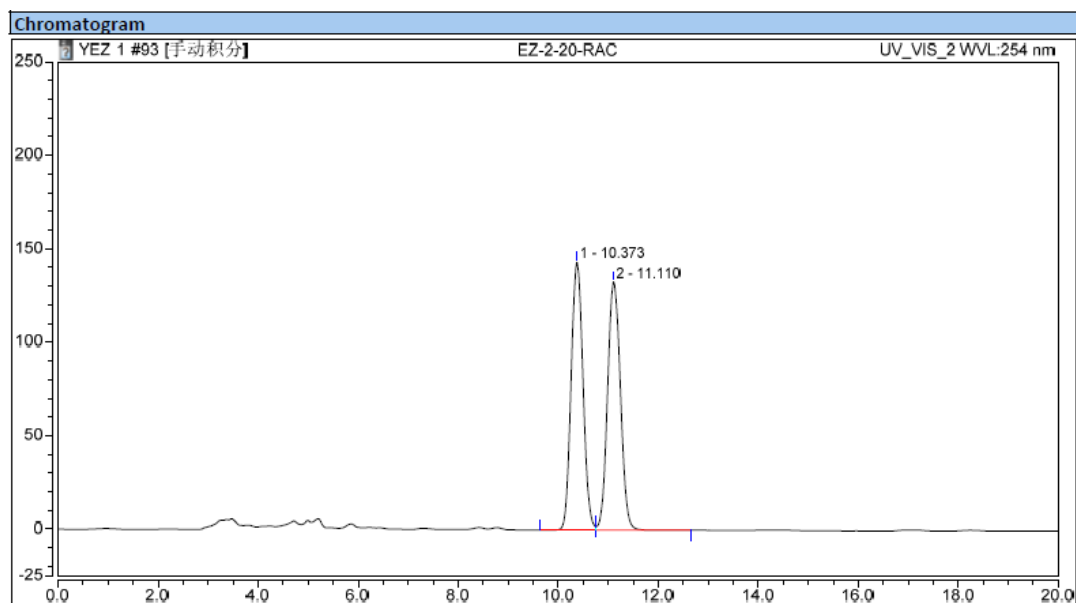
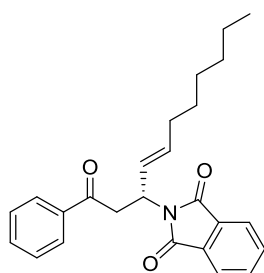
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		18.317	5.507	13.813	3.12	3.44	n.a.
2		19.528	171.228	388.144	96.88	96.56	n.a.
Total:			176.735	401.957	100.00	100.00	

Compound 3ak

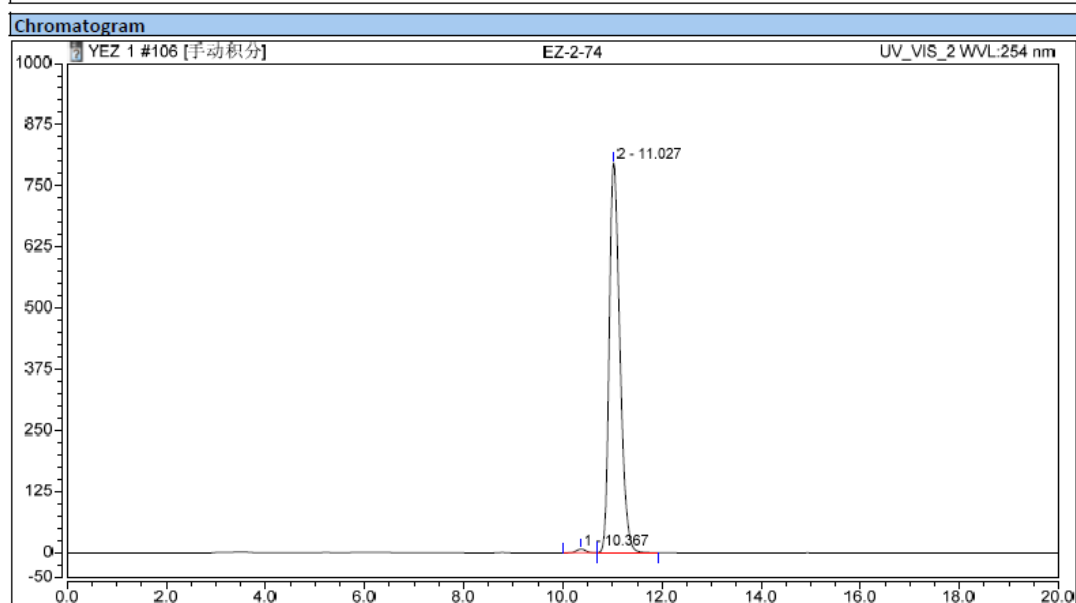


Compound 3al



Integration Results

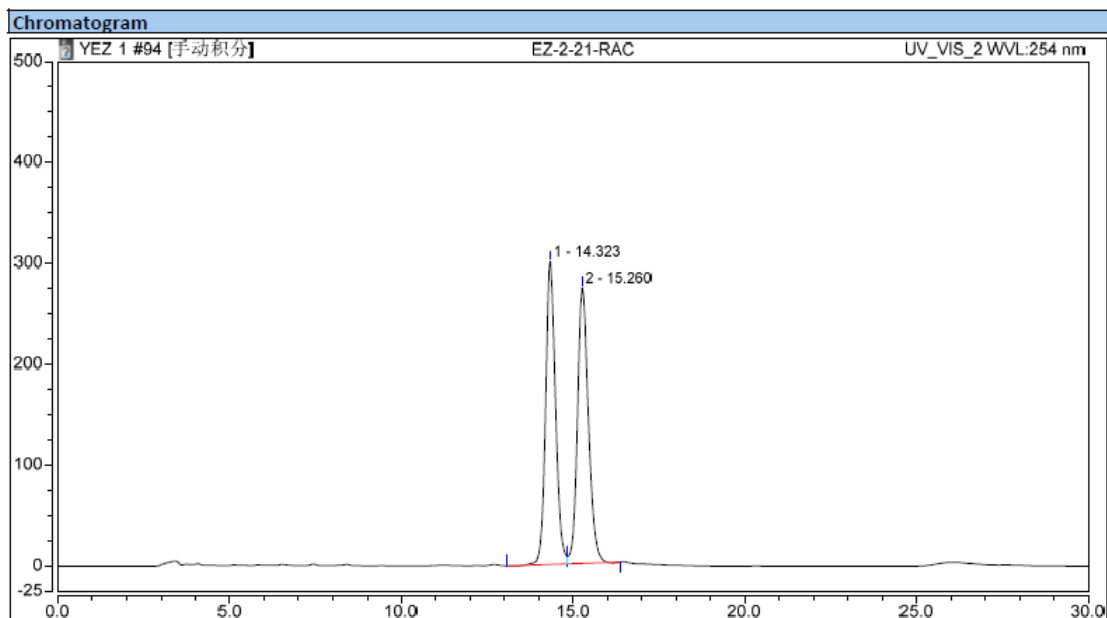
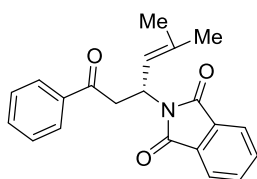
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		10.373	39.350	143.165	49.90	51.88	n.a.
2		11.110	39.513	132.807	50.10	48.12	n.a.
Total:			78.863	275.972	100.00	100.00	



Integration Results

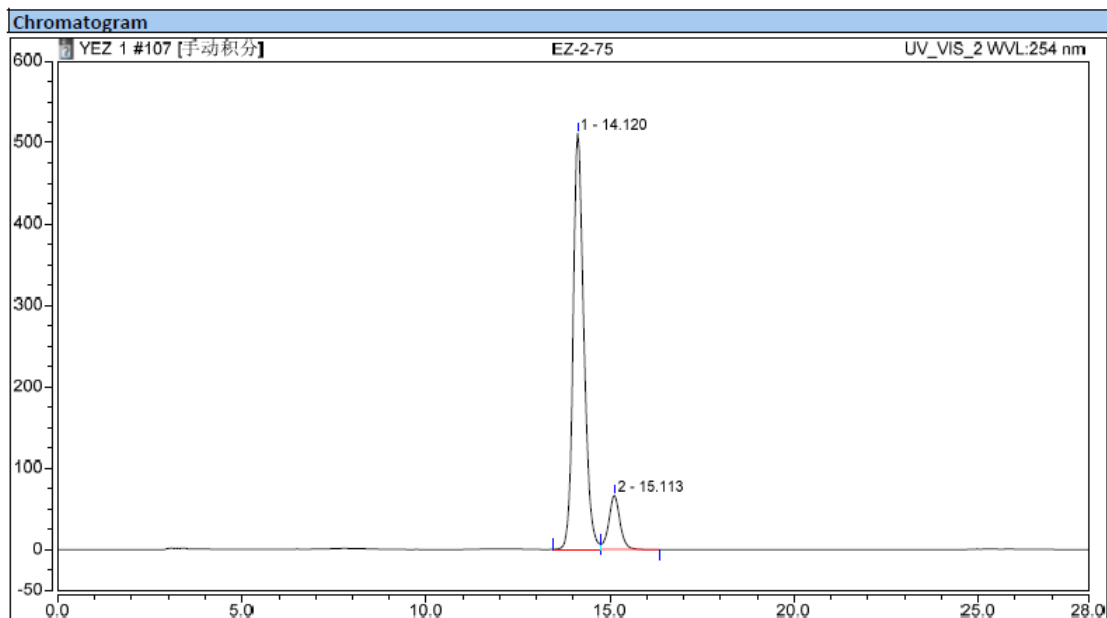
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		10.367	1.606	7.519	0.80	0.93	n.a.
2		11.027	199.102	796.878	99.20	99.07	n.a.
Total:			200.708	804.397	100.00	100.00	

Compound 3am



Integration Results

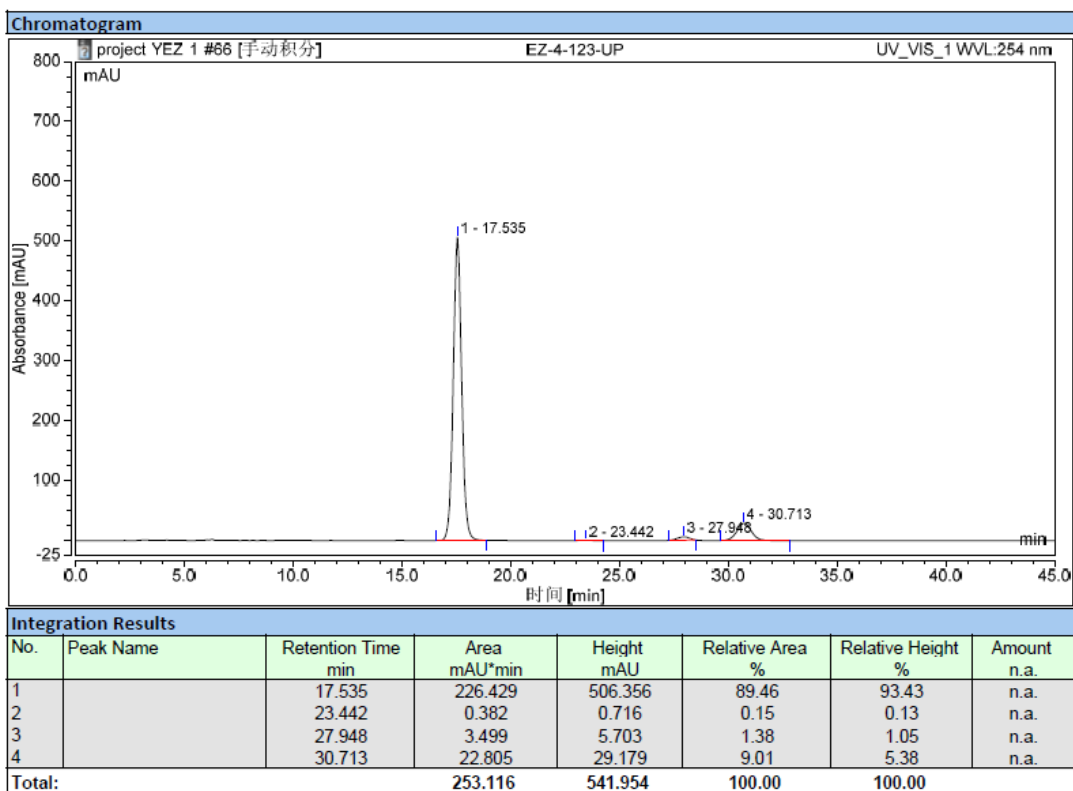
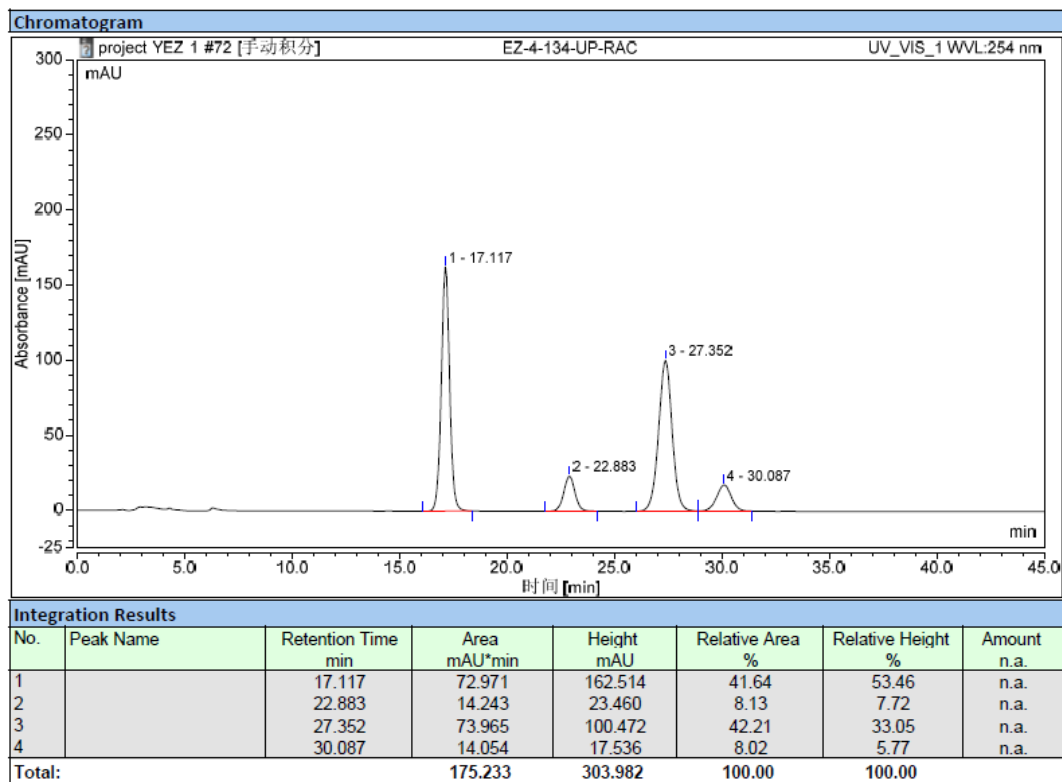
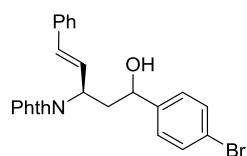
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		14.323	100.224	300.341	49.93	52.37	n.a.
2		15.260	100.523	273.131	50.07	47.63	n.a.
Total:			200.747	573.472	100.00	100.00	



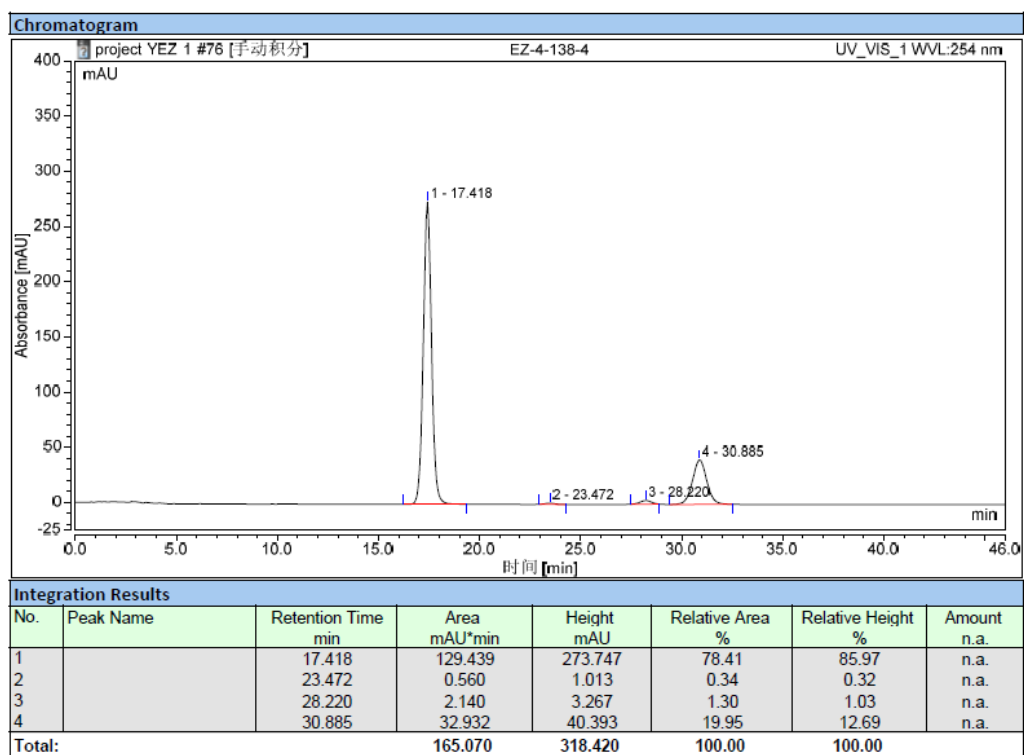
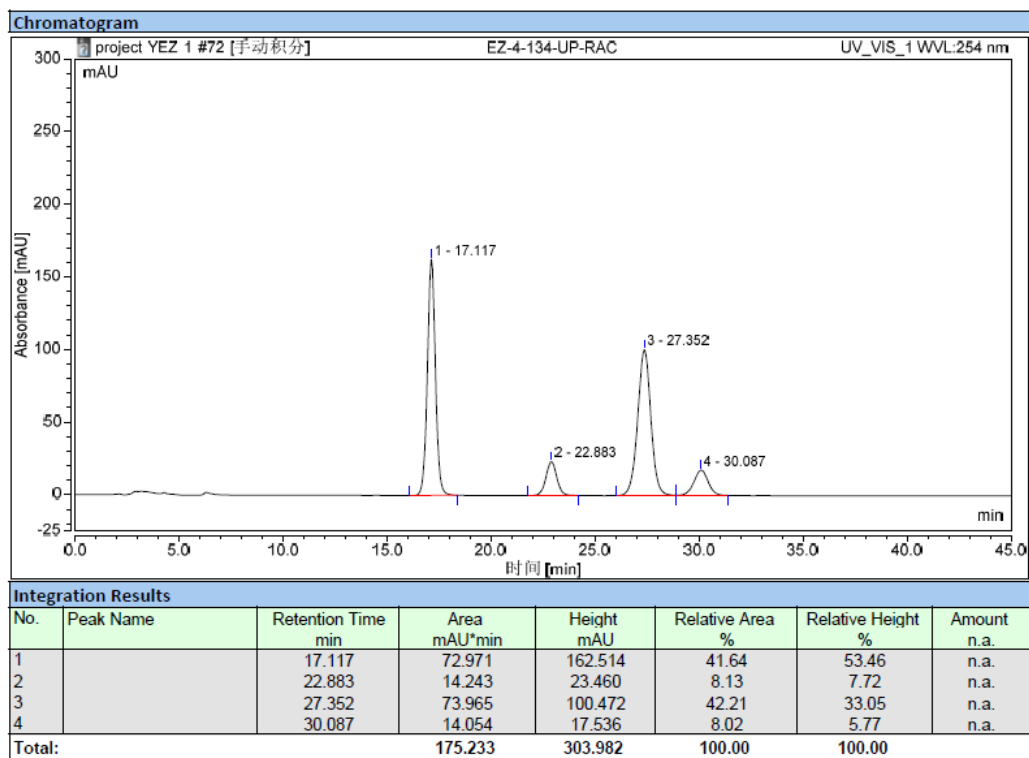
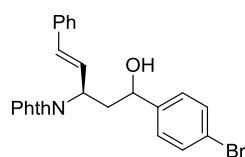
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		14.120	172.835	510.784	88.11	88.49	n.a.
2		15.113	23.322	66.458	11.89	11.51	n.a.
Total:			196.157	577.242	100.00	100.00	

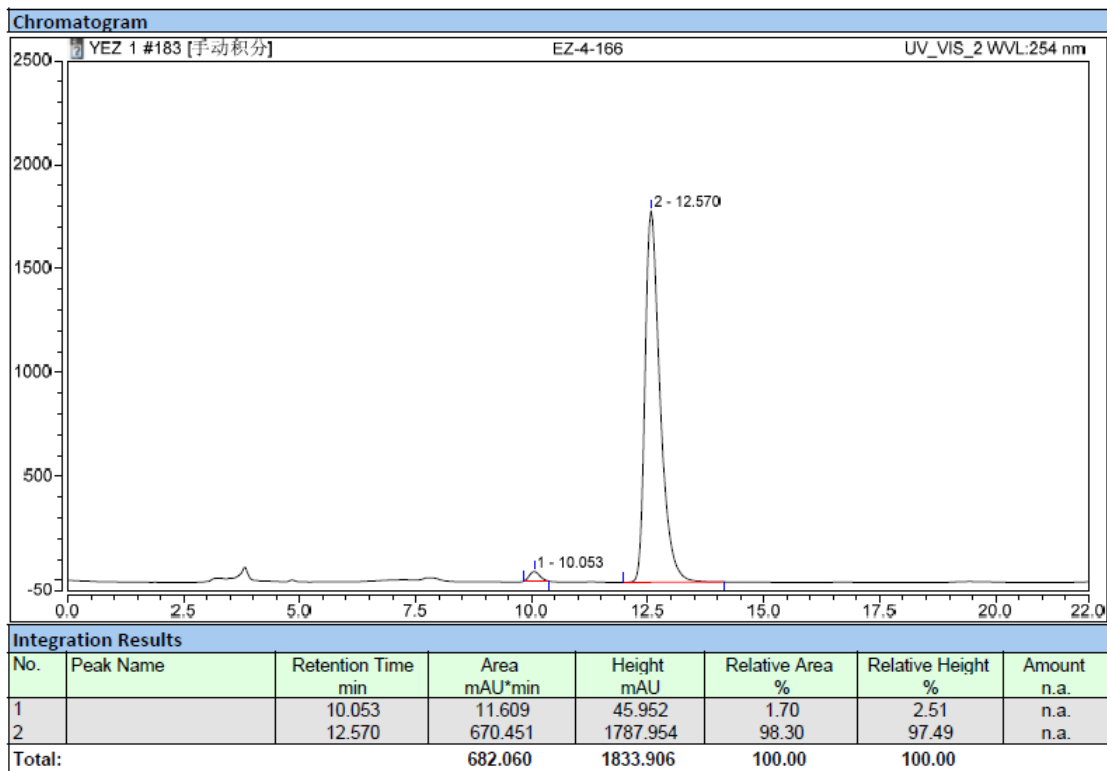
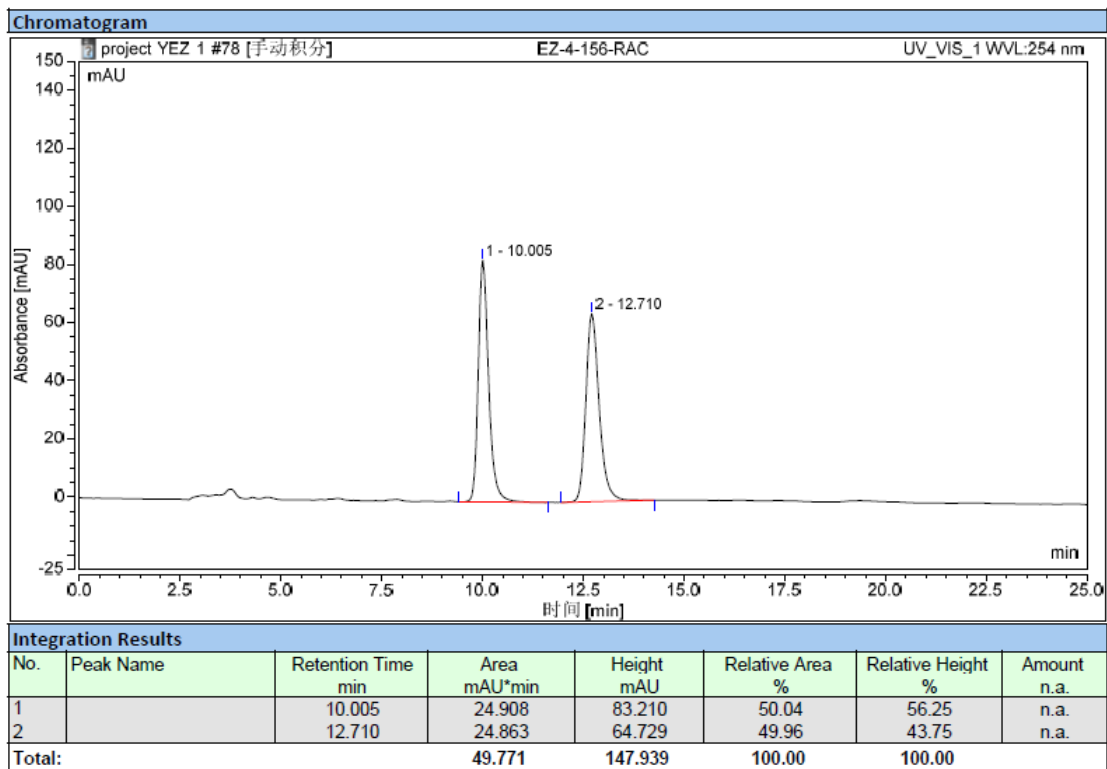
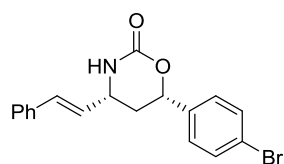
Compound 4+4' (9:1 dr)



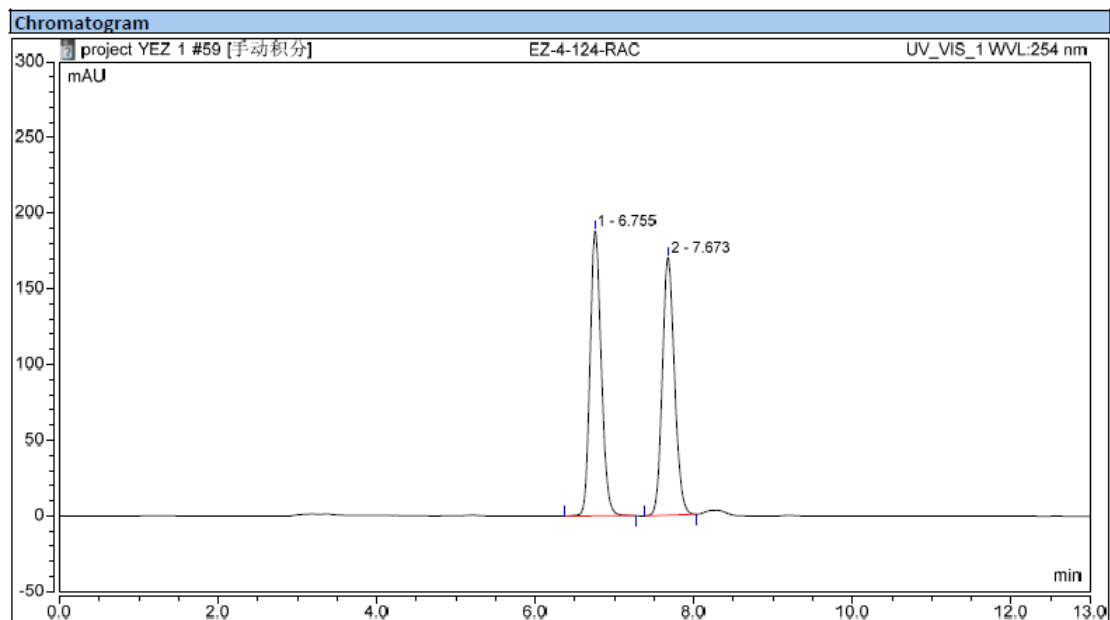
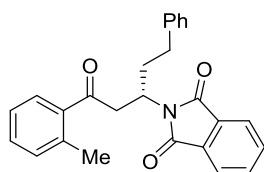
Compound 4+4' (4:1 *dr*)



Compound 6

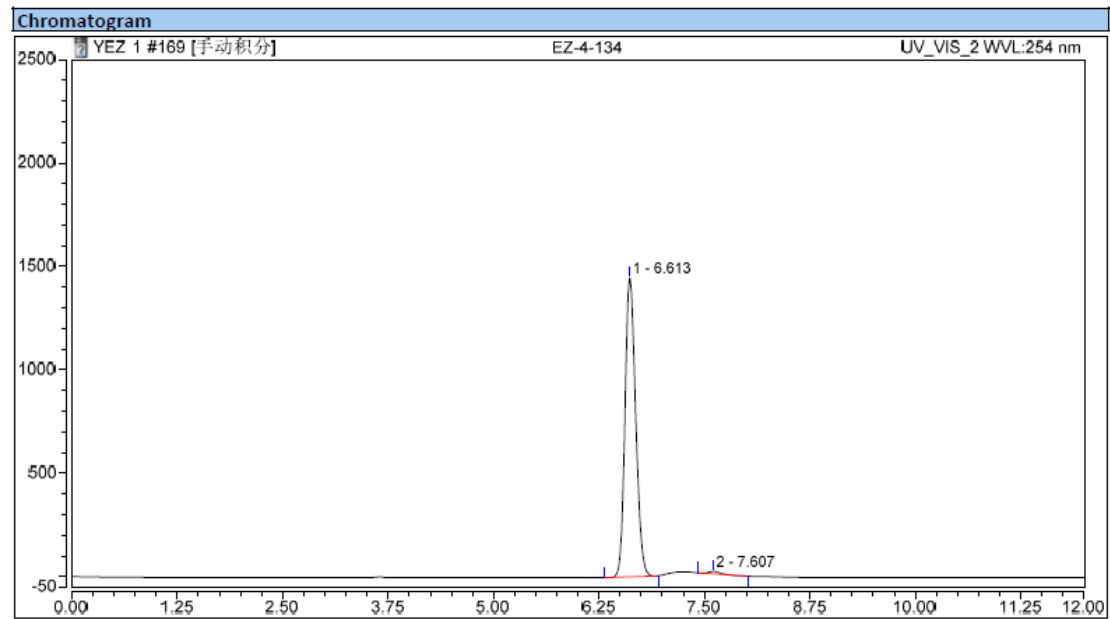


Compound 7



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.755	30.825	188.464	50.39	52.53	n.a.
2		7.673	30.343	170.308	49.61	47.47	n.a.
Total:			61.168	358.772	100.00	100.00	



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.613	210.602	1444.155	99.23	99.17	n.a.
2		7.607	1.627	12.057	0.77	0.83	n.a.
Total:			212.229	1456.213	100.00	100.00	