Organocatalytic Asymmetric Tandem *α*-Functionalization/1,3-Proton Shift Reaction of Benzylidene Succinimides with *β*-Trifluoromethyl Enones

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Supporting Information

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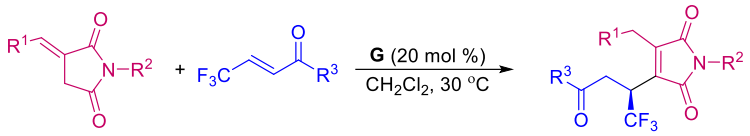
1. General experimental information

Reagents were purchased from commercial sources and were used as received unless mentioned otherwise. Reactions were monitored by TLC. ^{1}H NMR and ^{13}C NMR spectra were recorded in $CDCl_3$ and DMSO-d_6. ^{1}H NMR chemical shifts are reported in ppm relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard ($CDCl_3$ at 7.26 ppm, DMSO-d_6 at 2.50 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, br s = broad singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm from tetramethylsilane (TMS) with the solvent resonance as the internal standard ($CDCl_3$ at 77.16 ppm, DMSO-d_6 at 39.51 ppm). Melting points products were recorded on a Büchi Melting Point B-545. The HRMS were recorded by Bruker micrOTOF-Q II mass spectrometer (Bremen, Germany)

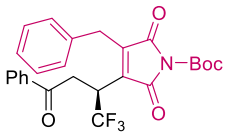
2. General experimental procedures for the synthesis of compounds 3



1 **2** **3**

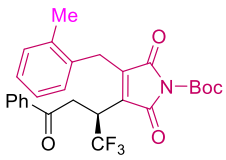
To a solution of catalyst **G** (7.0 mg, 0.02 mmol, 20 mol %) and benzylidene succinimides **1** (0.20 mmol) in CH_2Cl_2 (0.30 mL) was added *β*-trifluoromethyl enones **2 (**0.10 mmol**)**. Then the mixture was stirred for specific time at 30 °C. After completion, the reaction mixture was directly purified by flash chromatography on silica gel (petroleum ether/methyl tertiary butyl ether = 17:1) to give the corresponding product **3**.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3aa).**



White solid; 37.6 mg, 77% yield; 95% ee.; $[\alpha]_D^{20}$ = -75.2 (*c* 1.00, CH_2Cl_2); m.p. 109.0-110.2 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 7.48 min, t_{minor} = 9.46 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.95 (d, *J* = 7.3 Hz, 2H), 7.66 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.27-7.20 (m, 4H), 7.19-7.11 (m, 1H), 4.63-4.56 (m, 1H), 4.10-3.90 (m, 3H), 3.82 (dd, *J* = 18.7, 4.5 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.4, 165.7, 165.4, 145.2, 144.8, 136.0, 135.4, 134.6, 133.6, 128.6, 128.5, 128.4, 128.1, 126.5, 125.8 (q, *J* = 280.6 Hz, 1C), 84.2, 35.4, 35.3 (q, *J* = 29.3 Hz, 1C), 29.3, 27.4; HRMS (ESI) Calcd. for $C_{26}H_{24}F_3NNaO_5$ $[M+Na]^+$: 510.1499; found: 510.1487.

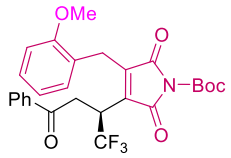
***Tert*-butyl (*S*)-3-(2-methylbenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ba).**



Yellow solid; 39.7 mg, 79% yield; 85% ee.; $[\alpha]_D^{20}$ = -21.5 (*c* 1.00, CH_2Cl_2); m.p. 46.9-48.2 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 4.51 min, t_{minor} = 5.88 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.96-7.88 (m, 2H), 7.65 (t, *J* = 7.3 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 2H), 7.15 (d, *J* = 7.3 Hz, 1H), 7.10-6.90 (m, 3H), 4.48 (td, *J* = 9.4, 4.7 Hz, 1H), 4.00-3.86 (m, 3H), 3.78 (dd, *J* = 18.7, 4.7 Hz, 1H), 2.34 (s, 3H), 1.48 (s, 9H);^{13}C NMR (75 MHz, DMSO-d_6) δ 195.5, 165.6, 165.4, 145.2, 145.1, 135.9, 135.4, 135.3, 134.6, 133.7, 130.0, 128.7, 128.1, 127.7, 126.6,

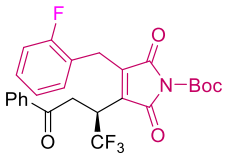
125.9, 125.8 (q, J = 280.5 Hz, 1C), 84.3, 35.4, 35.3 (q, J = 29.6 Hz, 1C). 27.4, 26.8, 19.4; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ $[M+Na]^+$: 524.1655; found: 524.1652.

***Tert*-butyl (*S*)-3-(2-methoxybenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ca).**



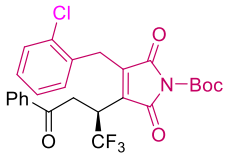
Light yellow solid; 37.7 mg, 73% yield; 90% ee.; $[\alpha]_D^{20}$ = -9.5 (*c* 1.00, CH_2Cl_2); m.p. 49.8-51.2 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 6.45 min, t_{minor} = 7.87 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.95-7.88 (m, 2H), 7.65 (t, J = 7.2 Hz, 1H), 7.51 (t, J = 7.6 Hz, 2H), 7.21-7.04 (m, 2H), 6.91 (d, J = 8.2 Hz, 1H), 6.79 (t, J = 7.4 Hz, 1H), 4.60-4.43 (m, 1H), 3.94 (d, J = 15.3 Hz, 1H), 3.89-3.76 (m, 3H), 3.75 (s, 3H), 1.48 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.4, 165.9, 165.5, 156.6, 145.4, 145.3, 135.5, 134.5, 133.8, 129.6, 128.8, 128.3, 128.2, 126.0 (q, J = 280.6 Hz, 1C), 123.9, 120.5, 110.7, 84.4, 55.4, 35.5, 35.4 (q, J = 29.3 Hz, 1C), 27.5, 23.9; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_6$ $[M+Na]^+$: 540.1604; found: 540.1599.

***Tert*-butyl (*S*)-3-(2-fluorobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3da).**



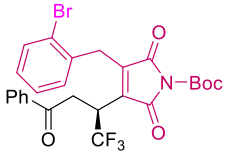
Yellow solid; 30.9 mg, 61% yield; 94% ee.; $[\alpha]_D^{20}$ = -28.8 (*c* 1.00, CH_2Cl_2); m.p. 80.6-81.1 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 6.34 min, t_{minor} = 10.57 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.00-7.91 (m, 2H), 7.72-7.60 (m, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.27-7.21 (m, 2H), 7.18-7.03 (m, 2H), 4.55 (td, J = 9.7, 4.6 Hz, 1H), 4.10-3.89 (m, 3H), 3.81 (dd, J = 18.7, 4.6 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.3, 165.4, 165.2, 160.0 (d, J = 244.5 Hz, 1C), 145.2, 143.9, 135.5, 135.2, 133.7, 130.5 (d, J = 3.7 Hz, 1C), 128.8 (d, J = 8.2 Hz, 1C), 128.7, 128.1, 125.8 (q, J = 280.6 Hz, 1C), 124.4 (d, J = 3.3 Hz, 1C), 123.0 (d, J = 15.2 Hz, 1C), 115.2 (d, J = 21.7 Hz, 1C), 84.3, 35.4, 35.3 (q, J = 29.4 Hz, 1C), 27.4, 22.6 (d, J = 4.0 Hz, 1C); HRMS (ESI) Calcd. for $C_{26}H_{23}F_4NNaO_5$ $[M+Na]^+$: 528.1405; found: 528.1410.

***Tert*-butyl (*S*)-3-(2-chlorobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ea).**



Yellow solid; 35.5 mg, 68% yield; 87% ee.; $[\alpha]_D^{20}$ = -17.7 (*c* 1.00, CH_2Cl_2); m.p. 110.5-111.1 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.05 min, t_{minor} = 7.48 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.99-7.90 (m, 2H), 7.66 (t, J = 7.4 Hz, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.47-7.40 (m, 1H), 7.21 (d, J = 5.5 Hz, 3H), 4.53 (td, J = 9.6, 4.5 Hz, 1H), 4.15-3.88 (m, 3H), 3.79 (dd, J = 18.7, 4.5 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.4, 165.5, 165.2, 145.2, 144.0, 135.7, 135.4, 134.0, 133.7, 132.7, 130.1, 129.3, 128.7, 128.6, 128.1, 127.3, 125.9 (q, J = 279.9 Hz, 1C), 84.3, 35.4, 35.3 (q, J = 29.6 Hz, 1C), 27.5, 27.1; HRMS (ESI) Calcd. for $C_{26}H_{23}ClF_3NNaO_5$ $[M+Na]^+$: 544.1109; found: 544.1110.

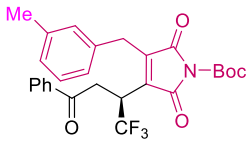
***Tert*-butyl (*S*)-3-(2-bromobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3fa).**



Light yellow solid; 43.6 mg, 77% yield; 87% ee.; $[\alpha]_D^{20}$ = -15.9 (*c* 1.00, CH_2Cl_2); m.p. 119.0-123.2 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0

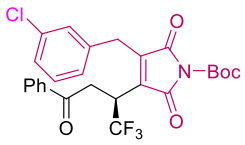
mL/min; λ = 254 nm; t_{major} = 4.50 min, t_{minor} = 6.98 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.97-7.90 (m, 2H), 7.65 (t, J = 7.3 Hz, 1H), 7.60 (d, J = 7.3 Hz, 1H), 7.51 (t, J = 7.6 Hz, 2H), 7.27-7.08 (m, 3H), 4.52 (td, J = 9.6, 4.4 Hz, 1H), 4.13-3.97 (m, 2H), 3.92 (t, J = 9.3 Hz, 1H), 3.79 (dd, J = 18.7, 4.6 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.4, 165.5, 165.3, 145.2, 144.0, 135.7, 135.6, 135.4, 133.7, 132.5, 129.9, 128.8, 128.7, 128.1, 127.9, 125.8 (q, J = 280.7 Hz, 1C), 123.6, 84.3, 35.4, 35.3 (q, J = 29.3 Hz, 1C), 29.8, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}BrF_3NNaO_5$ [M+Na]$^+$: 588.0604; found: 588.0606.

Tert-butyl (_S_)-3-(3-methylbenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1_H_-pyrrole-1-carboxylate (3ga).



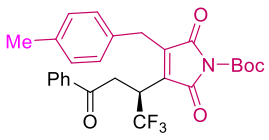
White solid; 33.9 mg, 68% yield; 95% ee.; $[\alpha]_D^{20}$ = -53.9 (c 1.00, CH_2Cl_2); m.p. 42.6-44.5 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 4.63 min, t_{minor} = 5.65 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.94-7.91 (m, 2H), 7.72-7.60 (m, 1H), 7.51 (t, J = 7.6 Hz, 2H), 7.10 (t, J = 7.7 Hz, 1H), 7.02 (d, J = 5.8 Hz, 2H), 6.93 (d, J = 7.4 Hz, 1H), 4.60-4.52 (m, 1H), 4.08-3.86 (m, 3H), 3.80 (dd, J = 18.6, 4.4 Hz, 1H), 2.14 (s, 3H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.4, 165.8, 165.5, 145.3, 144.7, 137.6, 136.0, 135.4, 134.7, 133.8, 129.1, 128.7, 128.4, 128.1, 127.2, 126.0 (q, J = 279.3 Hz, 1C), 125.7, 84.3, 35.6, 35.2 (q, J = 28.9 Hz, 1C), 29.2, 27.5, 20.8. HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ [M+Na]$^+$: 524.1655; found: 524.1674.

Tert-butyl (_S_)-3-(3-chlorobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1_H_-pyrrole-1-carboxylate (3ha).



Yellow solid; 34.7 mg, 67% yield; 94% ee.; $[\alpha]_D^{20}$ = -21.0 (c 1.00, CH_2Cl_2); m.p. 57.4-58.6 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.24 min, t_{minor} = 7.43 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.00-7.90 (m, 2H), 7.66 (t, J = 7.3 Hz, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.36 (s, 1H), 7.30-7.16 (m, 3H), 4.61 (td, J = 9.1, 4.3 Hz, 1H), 4.11-3.91 (m, 3H), 3.81 (dd, J = 18.8, 4.3 Hz, 1H), 1.47 (s, 9H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.5, 165.7, 165.4, 145.2, 144.2, 138.7, 135.4, 135.1, 133.8, 133.1, 130.2, 128.8, 128.6, 128.1, 127.4, 126.6, 125.9 (q, J = 279.3 Hz, 1C), 84.3, 35.4, 35.3 (q, J = 28.5 Hz, 1C), 28.9, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}ClF_3NNaO_5$ [M+Na]$^+$: 544.1109; found: 544.1124.

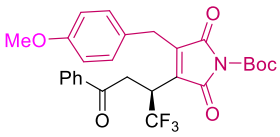
Tert-butyl (_S_)-3-(4-methylbenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1_H_-pyrrole-1-carboxylate (3ia).



Yellow solid; 33.7 mg, 67% yield; >99% ee.; $[\alpha]_D^{20}$ = -38.0 (c 1.00, CH_2Cl_2); m.p. 131.7-132.5 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 0.6 mL/min; λ = 254 nm; t_{major} = 5.30 min, t_{minor} = 6.49 min); ^{1}H NMR (300 MHz, Chloroform-d) δ 7.88-7.79 (m, 2H), 7.63-7.54 (m, 1H), 7.44 (t, J = 7.6 Hz, 2H), 7.13 (d, J = 8.0 Hz, 2H), 7.01 (d, J = 8.0 Hz, 2H), 4.38-4.25 (m, 1H), 4.12 – 3.84 (m, 3H), 3.51 (dd, J = 18.4, 3.5 Hz, 1H), 2.21 (s, 3H), 1.56 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-d) δ 195.2, 166.3, 165.8, 146.1, 145.8, 136.9, 135.5, 134.1, 134.0, 132.2, 129.7, 128.9, 128.8, 128.3, 125.8 (q, J = 280.4 Hz, 1C), 85.5, 36.8 (q, J = 29.3 Hz, 1C), 35.7, 29.6, 28.0, 21.1. HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ [M+Na]$^+$: 524.1655; found: 524.1642.

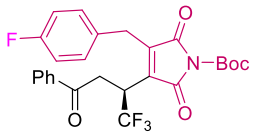
Tert-butyl (_S_)-3-(4-methoxybenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-

2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ja).



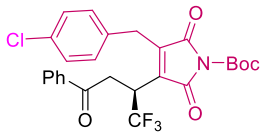
Yellow solid; 23.6 mg, 46% yield; 95% ee.; $[\alpha]_D^{20}$ = -52.4 (*c* 1.00, CH_2Cl_2); m.p. 112.4-113.3 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.61 min, t_{minor} = 7.42 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.99-7.86 (m, 2H), 7.72-7.61 (m, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.15 (d, *J* = 8.7 Hz, 2H), 6.76 (d, *J* = 8.7 Hz, 2H), 4.57 (td, *J* = 9.7, 4.3 Hz, 1H), 4.08-3.74 (m, 4H), 3.65 (s, 3H), 1.47 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.5, 165.8, 165.5, 158.0, 145.3, 145.1, 135.4, 134.2, 133.7, 129.7, 128.7, 128.1, 127.7, 125.9 (q, *J* = 280.5 Hz, 1C), 113.9, 84.4, 55.0, 35.5, 35.3 (q, *J* = 29.3 Hz, 1C), 28.5, 27.5; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_6$ $[M+Na]^+$: 540.1604; found: 540.1600.

***Tert*-butyl (*S*)-3-(4-fluorobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ka).**



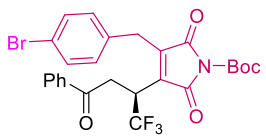
White solid; 36.0 mg, 71% yield; 95% ee.; $[\alpha]_D^{20}$ = -21.6 (*c* 1.00, CH_2Cl_2); m.p. 93.2-95.3 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.10 min, t_{minor} = 8.68 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.98-7.89 (m, 2H), 7.66 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.31-7.26 (m, 2H), 7.04 (t, *J* = 8.7 Hz, 2H), 4.58 (td, *J* = 9.8, 4.4Hz, 1H), 4.08-3.88 (m, 3H), 3.81 (dd, *J* = 18.6, 4.4 Hz, 1H), 1.47 (s, 9H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 195.5, 165.8, 165.5, 161.0 (d, *J* = 242.7 Hz, 1C), 145.2, 144.7, 135.4, 134.7, 133.8, 132.3, 132.2, 130.6 (d, *J* = 8.1 Hz, 1C), 128.4 (d, *J* = 59.2 Hz, 1C), 125.9 (q, *J* = 280.1 Hz, 1C)., 115.2 (d, *J* = 21.3 Hz, 1C), 84.3, 35.4, 35.3 (q, *J* = 29.2 Hz, 1C), 28.5, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}F_4NNaO_5$ $[M+Na]^+$: 528.1405; found: 528.1394.

***Tert*-butyl (*S*)-3-(4-chlorobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3la).**



Light yellow solid; 30.0 mg, 58% yield; 88% ee.; $[\alpha]_D^{20}$ = -27.4 (*c* 1.00, CH_2Cl_2); m.p. 110.2-111.0 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.41 min, t_{minor} = 8.31 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.93 (d, *J* = 7.6 Hz, 2H), 7.66 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.27 (s, 4H), 4.68-4.49 (m, 1H), 4.09-3.88 (m, 3H), 3.81 (dd, *J* = 18.7, 4.4 Hz, 1H), 1.47 (s, 9H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.3, 165.6, 165.3, 145.1, 144.3, 135.3, 135.1, 134.8, 133.7, 131.3, 130.4, 128.6, 128.3, 128.0, 125.8 (q, *J* = 278.8 Hz, 1C), 84.3, 35.5, 35.3 (q, *J* = 28.7 Hz, 1C), 28.5, 27.4; HRMS (ESI) Calcd. for $C_{26}H_{23}ClF_3NNaO_5$ $[M+Na]^+$: 544.1109; found: 544.1091.

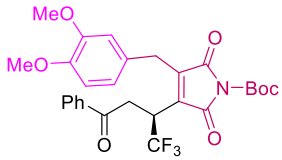
***Tert*-butyl (*S*)-3-(4-bromobenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ma).**



White solid; 33.1 mg, 59% yield; 95% ee; $[\alpha]_D^{20}$ = -72.9 (*c* 1.00, CH_2Cl_2); m.p. 123.3-124.5 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.35 min, t_{minor} = 7.72 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.94 (d, *J* = 7.3 Hz, 2H), 7.66 (t, *J* = 7.3 Hz, 1H), 7.52 (t, *J* = 7.3 Hz, 2H), 7.40 (d, *J* = 7.9 Hz, 2H), 7.22 (d, *J* = 7.9 Hz, 2H), 4.63-4.56 (m, 1H), 4.05-3.91 (m, 3H), 3.85-3.78 (m, 1H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.4, 165.7, 165.4, 145.2, 144.3, 135.6, 135.4,

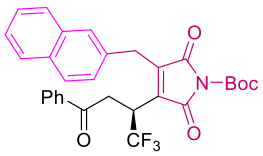
134.9, 133.7, 131.3, 130.8, 128.7, 128.1, 125.9 (q, J = 280.7 Hz, 1C), 119.8, 84.3, 35.4, 35.3 (q, J = 29.3 Hz, 1C), 28.7, 27.4; HRMS (ESI) Calcd. for $C_{26}H_{23}BrF_3NNaO_5$ [M+Na]$^+$: 588.0604; found: 588.0594.

***Tert*-butyl (*S*)-3-(3,4-dimethoxybenzyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3na).**



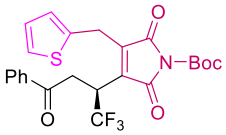
Brown oil; 36.3 mg, 66% yield; 95% ee.; $[\alpha]_D^{20}$ = -30.1 (*c* 1.00, CH_2Cl_2); The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 13.25 min, t_{minor} = 16.46 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.93 (d, J = 7.7 Hz, 2H), 7.66 (t, J = 7.4 Hz, 1H), 7.51 (t, J = 7.6 Hz, 2H), 6.90 (s, 1H), 6.77-6.70 (m, 2H), 4.69-4.50 (m, 1H), 4.08-3.75 (m, 4H), 3.68 (s, 3H), 3.65 (s, 3H), 1.47 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.4, 165.7, 165.5, 148.7, 147.6, 145.2, 145.0, 135.4, 134.1, 133.7, 128.7, 128.1, 128.0, 125.9 (q, J = 281.0 Hz, 1C), 120.7, 112. 7, 111.8, 84.3, 55.4, 55.3, 35.4, 35.3 (q, J = 28.6 Hz, 1C), 28.8, 27.4; HRMS (ESI) Calcd. for $C_{28}H_{28}F_3NNaO_7$ [M+Na]$^+$: 570.1710; found: 570.1717.

***Tert*-butyl (*S*)-3-(naphthalen-2-ylmethyl)-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3oa).**



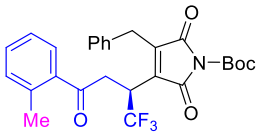
Yellow solid; 33.8 mg, 63% yield; 95% ee.; $[\alpha]_D^{20}$ = -80.1 (*c* 1.00, CH_2Cl_2); m.p. 83.8-84.8 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 8.81 min, t_{minor} = 12.38 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.94-7.87 (m, 2H), 7.84-7.79 (m, 2H), 7.72-7.70 (m, 2H), 7.63-7.58 (m, 1H), 7.47-7.42 (m, 5H), 4.72-4.56 (m, 1H), 4.25-3.97 (m, 3H), 3.83 (dd, J = 18.6, 4.4 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.2, 166.3, 165.8, 145.8, 145.7, 135.3, 134.6, 133.9, 133.6, 132.8, 132.4, 128.8, 128.7, 128.0, 127.8, 127.7, 127.6, 126.9, 126.4, 126.0, 125.8 (q, J = 280.6 Hz, 1C), 85.1, 36.9 (q, J = 29.7 Hz, 1C), 35.7, 30.0, 28.0; HRMS (ESI) Calcd. for $C_{30}H_{26}F_3NNaO_5$ [M+Na]$^+$: 560.1655; found: 560.1662.

***Tert*-butyl (*S*)-2,5-dioxo-3-(thiophen-2-ylmethyl)-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3pa).**



Yellow oil; 32.0 mg, 65% yield; 96% ee.; $[\alpha]_D^{20}$ = -23.6 (*c* 1.00, CH_2Cl_2). The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 7.64 min, t_{minor} = 13.28 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.95-7.92 (m, 2H), 7.66 (t, J = 7.3 Hz, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.42 (dd, J = 4.9, 2.9 Hz, 1H), 7.30-7.22 (m, 1H), 7.02 (dd, J = 4.9, 1.4 Hz, 1H), 4.55 (td, J = 9.6, 4.6 Hz, 1H), 4.07-3.87 (m, 3H), 3.81 (dd, J = 18.7, 4.6 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 195.4, 165.8, 165.5, 145.3, 144.5, 135.4, 135.3, 134.1, 133.8, 128.7, 128.3, 128.1, 126.2, 125.9 (q, J = 280.6 Hz, 1C), 122.6, 84.3, 35.5, 35.1 (q, J = 29.2 Hz, 1C), 27.5, 24.3; HRMS (ESI) Calcd. for $C_{24}H_{22}F_3NNaO_5S$ [M+Na]$^+$: 516.1063; found: 516.1075.

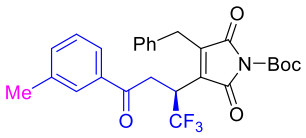
***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-(o-tolyl)butan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ab).**



Yellow oil; 35.2 mg, 70% yield; 91% ee.; $[\alpha]_D^{20}$ = -21.5 (*c* 1.00, CH_2Cl_2). The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254

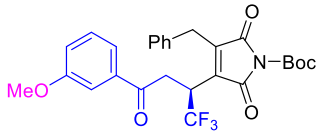
nm; t_{major} = 8.13 min, t_{minor} = 9.16 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.79 (d, J = 7.4 Hz, 1H), 7.52-7.40 (m, 1H), 7.36-7.21 (m, 6H), 7.20-7.17 (m, 1H), 4.62-4.55 (m, 1H), 4.08-3.84 (m, 3H), 3.74 (dd, J = 18.5, 5.1 Hz, 1H), 2.36 (s, 3H), 1.48 (s, 9H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 198.8, 165.7, 165.5, 145.2, 145.0, 137.7, 136.1, 136.0, 134.7, 132.0, 131.8, 129.2, 128.7, 128.5, 126.6, 126.0, 125.9 (q, J = 280.5 Hz, 1C), 84.3, 37.7, 35.4 (q, J = 29.7 Hz, 1C), 29.3, 27.5, 20.9; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ [M+Na]$^+$: 524.1655; found: 524.1662.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-(m-tolyl)-butan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ac).**



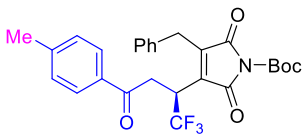
Yellow solid; 37.3 mg, 75% yield; 96% ee.; $[\alpha]_D^{20}$ = -37.6 (*c* 1.00, CH_2Cl_2); m.p. 43.2-44.1 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} =5.89 min, t_{minor} = 9.89 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.74 (d, J = 9.1 Hz, 2H), 7.47 (d, J = 7.7 Hz, 1H), 7.39 (td, J = 7.7, 2.2 Hz, 1H), 7.30-7.19 (m, 4H), 7.15 (dd, J = 8.2, 4.5 Hz, 1H), 4.62-4.55 (m, 1H), 4.08-3.88 (m, 3H), 3.79 (dd, J = 18.8, 4.5 Hz, 1H), 2.37 (s, 3H), 1.47 (s, 9H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 195.6, 165.7, 165.5, 145.2, 144.9, 138.2, 136.1, 135.5, 134.7, 134.3, 128.7, 128.6, 128.5, 128.2, 126.6, 126.0 (q, J = 280.1 Hz, 1C), 125.3, 84.3, 35.5, 35.1 (q, J = 29.7 Hz, 1C), 29.3, 27.4, 20.8; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ [M+Na]$^+$: 524.1655; found: 524.1661.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-(3-methoxyphenyl)-4-oxobutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ad).**



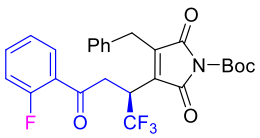
Light yellow solid; 39.4 mg, 76% yield; 91% ee.; $[\alpha]_D^{20}$ = -48.5 (*c* 1.00, CH_2Cl_2); m.p. 69.1-70.3 °C; The ee was determined by HPLC analysis using a Chiralpak IC column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 8.30 min, t_{minor} = 16.28 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.63-7.51 (m, 1H), 7.47-7.38 (m, 2H), 7.30-7.13 (m, 6H), 4.71-4.43 (m, 1H), 4.04-3.92 (m, 6.0 Hz, 3H), 3.88-3.76 (m, 4H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.3, 165.8, 165.5, 159.4, 145.2, 136.8, 136.1, 129.9, 128.7, 128.6, 128.5, 128.4, 126.6, 125.9 (q, J = 281.5 Hz, 1C), 120.7, 119.9, 112.5, 84.3, 55.4, 35.7, 35.3 (q, J = 28.4 Hz, 1C), 29.3, 27.5; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_6$ [M+Na]$^+$: 540.1604; found: 540.1603.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-(p-tolyl)-butan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ae).**



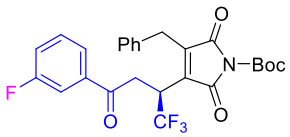
White solid; 44.2 mg, 88% yield; 96% ee.; $[\alpha]_D^{20}$ = -67.4 (*c* 1.00, CH_2Cl_2) ; m.p. 75.9-77.1 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 6.57 min, t_{minor} = 7.38 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.85 (d, J = 7.9 Hz, 2H), 7.32 (d, J = 7.9 Hz, 2H), 7.28-7.12 (m, 5H), 4.66-4.52 (m, 1H), 4.05-3.91 (m, 3H), 3.77 (dd, J = 18.4, 4.4 Hz, 1H), 2.38 (s, 3H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 195.0, 165.7, 165.4, 145.2, 144.9, 144.2, 136.1, 134.7, 133.0, 129.3, 128.6, 128.5, 128.3, 126.6, 125.9 (q, J = 280.5 Hz, 1C), 84.3, 35.4 (q, J = 28.2 Hz, 1C), 35.3, 29.3, 27.4, 21.2; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ [M+Na]$^+$: 524.1655; found: 524.1669.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-(2-fluorophenyl)-4-oxobutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3af).**



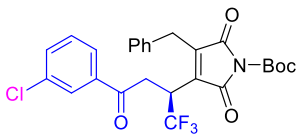
Yellow solid; 35.0 mg, 69% yield; 93% ee.; $[\alpha]_D^{20}$ = -45.6 (*c* 1.00, CH_2Cl_2); m.p. 54.3-56.6 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 6.94 min, t_{minor} = 7.85 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.82 (t, J = 7.0 Hz, 1H), 7.75-7.62 (m, 1H), 7.40-7.29 (m, 2H), 7.29-7.18 (m, 4H), 7.18-7.09 (m, 1H), 4.58 (td, J = 10.0, 4.7 Hz, 1H), 4.05-3.83 (m, 3H), 3.78-3.65 (m, 1H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 193.0 (d, *J* = 3.8 Hz, 1C), 165.8, 165.4, 161.4 (d, *J* = 254.8 Hz, 1C), 145.3, 144.9, 136.0, 135.8 (d, *J* = 9.4 Hz, 1C), 134.4, 130.5 (d, *J* = 1.5 Hz, 1C), 128.6, 128.5, 126.6, 125.9 (q, *J* = 280.0 Hz, 1C), 124.9 (d, *J* = 3.2 Hz, 1C), 123.8 (d, *J* = 11.7 Hz, 1C), 117.0 (d, *J* = 23.3 Hz, 1C), 84.3, 35.3 (q, *J* = 28.4 Hz, 1C), 35.2, 29.3, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}F_4NNaO_5$ $[M+Na]^+$: 528.1405; found: 528.1412.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-(3-fluorophenyl)-4-oxobutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ag).**



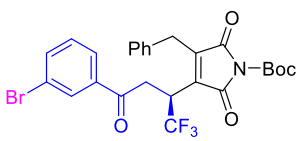
Light yellow solid; 39.1 mg, 77% yield; 90% ee.; $[\alpha]_D^{20}$ = -119.7 (*c* 1.00, CH_2Cl_2); m.p. 65.4-67.2 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 7.70 min, t_{minor} = 12.17 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.79 (d, *J* = 7.5 Hz, 1H), 7.70 (d, *J* = 9.8 Hz, 1H), 7.60-7.47 (m, 2H), 7.25-7.19 (m, 4H), 7.14 (d, *J* = 5.7 Hz, 1H), 4.56 (td, *J* = 9.8, 4.2 Hz, 1H), 4.09-3.90 (m, 3H), 3.83 (dd, *J* = 18.9, 4.5 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.6 (d, *J* = 2.2 Hz, 1C), 165.8, 165.5, 162.2 (d, *J* = 245.3 Hz, 1C), 145.3, 144.9, 137.6 (d, *J* = 6.4 Hz, 1C), 136.1, 134.6, 130.9 (d, *J* = 7.8 Hz, 1C), 128.6, 128.5, 126.6, 125.9 (q, *J* = 280.3 Hz, 1C), 124.4 (d, *J* = 2.5 Hz, 1C), 120.6 (d, *J* = 21.4 Hz, 1C), 114.7 (d, *J* = 22.4 Hz, 1C), 84.3, 35.8, 35.3 (q, *J* = 29.2 Hz, 1C), 29.3, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}F_4NNaO_5$ $[M+Na]^+$: 528.1405; found: 528.1406.

***Tert*-butyl (*S*)-3-benzyl-4-(4-(3-chlorophenyl)-1,1,1-trifluoro-4-oxobutan-2-yl)-2,5-dioxo-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ah).**



Yellow solid; 42.0 mg, 81% yield; 98% ee.; $[\alpha]_D^{20}$ = -78.1 (*c* 1.00, CH_2Cl_2); m.p. 46.4-47.8 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 85/15; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.60 min, t_{minor} = 13.26 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.97-7.83 (m, 2H), 7.77-7.67 (m, 1H), 7.54 (t, *J* = 7.9 Hz, 1H), 7.28-7.17 (m, 4H), 7.17-7.09 (m, 1H), 4.55 (td, *J* = 9.5, 4.2 Hz, 1H), 4.08-3.91 (m, 3H), 3.84 (dd, *J* = 19.0, 4.2 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.6, 165.8, 165.5, 145.2, 144.8, 137.2, 136.1, 134.6, 133.7, 133.4, 130.7, 128.5, 128.4, 127.9, 126.8, 126.6, 125.9 (q, *J* = 280.1 Hz, 1C), 84.3, 35.8, 35.2 (q, *J* = 29.6 Hz, 1C), 29.3, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}ClF_3NNaO_5$ $[M+Na]^+$: 544.1109; found: 544.1112

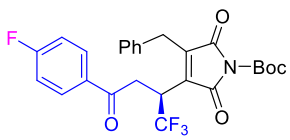
***Tert*-butyl (*S*)-3-benzyl-4-(4-(3-bromophenyl)-1,1,1-trifluoro-4-oxobutan-2-yl)-2,5-dioxo-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ai).**



White solid; 43.1 mg, 76% yield; 91% ee.; $[\alpha]_D^{20}$ = -32.4 (*c* 1.00, CH_2Cl_2); m.p. 88.7-90.5 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 7.69 min, t_{minor} = 17.56 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.05 (t, *J* = 1.8 Hz, 1H), 7.95-7.82 (m, 2H), 7.47 (t, *J* = 7.9 Hz, 1H), 7.27-7.16 (m, 4H), 7.16-7.08 (m, 1H), ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.5,

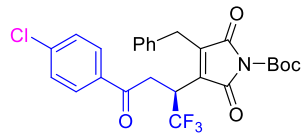
165.8, 165.5, 145.2, 144.8, 137.4, 136.3, 136.1, 134.5, 130.9, 130.7, 128.6, 128.5, 127.1, 126.6, 125.9 (q, J = 280.3 Hz, 1C), 122.1, 84.3, 40.1, 39.9, 39.7, 39.5, 39.3, 39.1, 38.9, 35.8, 35.2 (q, J = 28.9 Hz, 1C), 29.3, 27.5. HRMS (ESI) Calcd. for $C_{26}H_{23}BrF_3NNaO_5$ $[M+Na]^+$: 588.0604; found: 588.0613.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-(4-fluorophenyl)-4-oxobutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3aj).**



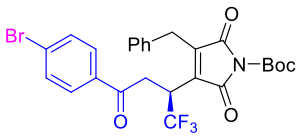
Light yellow solid; 40.1 mg, 79% yield; 95% ee.; $[\alpha]_D^{20}$ = -42.7 (*c* 1.00, CH_2Cl_2); m.p. 111.3-112.9 °C; The ee was determined by HPLC analysis using a Chiralpak AD-H column (hexane/ *i*-PrOH = 70/30; flow rate: 0.5 mL/min; λ = 254 nm; t_{minor} = 11.42 min, t_{major} = 12.73 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.08-7.97 (m, 2H), 7.34 (t, J = 8.8 Hz, 2H), 7.27-7.17 (m, 4H), 7.17-7.09 (m, 1H), 4.56 (td, J = 9.8, 4.4 Hz, 1H), 4.08-3.88 (m, 3H), 3.81 (dd, J = 18.7, 4.4 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.1, 165.8, 165.5, 165.3 (d, J = 252.5 Hz, 1C), 145.2, 144.8, 136.1, 134.6, 132.2 (d, J = 2.7 Hz, 1C), 131.3 (d, J = 9.6 Hz, 1C), 128.6, 128.5, 126.6, 125.9 (q, J = 280.3 Hz, 1C), 115.7 (d, J = 22.0 Hz, 1C), 84.3, 35.5, 35.3 (q, J = 29.7 Hz, 1C) 29.3, 27.5; HRMS (ESI) Calcd. for $C_{26}H_{23}F_4NNaO_5$ $[M+Na]^+$: 528.1405; found: 528.1390.

***Tert*-butyl (*S*)-3-benzyl-4-(4-(4-chlorophenyl)-1,1,1-trifluoro-4-oxobutan-2-yl)-2,5-dioxo-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ak).**



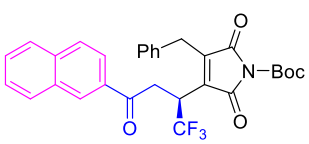
White soild; 43.1 mg, 83% yield; 89% ee.; $[\alpha]_D^{20}$ = -95.8 (*c* 1.00, CH_2Cl_2); m.p. 119.0-120.8 °C. The ee was determined by HPLC analysis using a Chiralpak AD-H column (hexane/ *i*-PrOH = 70/30; flow rate: 1.0 mL/min; λ = 254 nm; t_{minor} = 5.74 min, t_{major} = 6.47 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.95 (d, J = 8.5 Hz, 2H), 7.58 (d, J = 8.5 Hz, 2H), 7.28-7.13 (m, 5H), 4.61-4.53 (m, 1H), 4.05-3.91 (m, 3H), 3.81 (dd, J = 18.9, 4.3 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.5, 165.7, 165.4, 145.2, 144.9, 138.7, 136.1, 134.6, 134.1, 130.1, 128.8, 128.6, 128.5, 126.6, 125.9 (q, J = 280.4 Hz, 1C), 84.3, 35.6, 35.3 (q, J = 29.0 Hz, 1C), 29.3, 27.4; HRMS (ESI) Calcd. for $C_{26}H_{23}ClF_3NNaO_5$ $[M+Na]^+$: 544.1109; found: 544.1113.

***Tert*-butyl (*S*)-3-benzyl-4-(4-(4-bromophenyl)-1,1,1-trifluoro-4-oxobutan-2-yl)-2,5-dioxo-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3al).**



White soild; 45.4 mg, 80% yield; 87% ee.; $[\alpha]_D^{20}$ = -65.4 (*c* 1.00, CH_2Cl_2); m.p. 124.4-125.6 °C; The ee was determined by HPLC analysis using a Chiralpak AD-H column (hexane/ *i*-PrOH = 70/30; flow rate: 1.0 mL/min; λ = 254 nm; t_{minor} = 6.06 min, t_{major} = 6.77 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.87 (d, J = 8.5 Hz, 2H), 7.72 (d, J = 8.5 Hz, 2H), 7.23-7.13 (m, 5H), 4.60-4.52 (m, 1H), 4.04-3.91 (m, 3H), 3.80 (dd, J = 18.8, 4.4 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 194.8, 165.7, 165.4, 145.2, 144.9, 136.1, 134.5, 134.4, 131.8, 130.2, 128.6, 128.5, 127.9, 126.6, 125.9 (q, J = 280.2 Hz, 1C), 84.3, 35.5, 35.3 (q, J = 28.3 Hz, 1C), 29.3, 27.4; HRMS (ESI) Calcd. for $C_{26}H_{23}BrF_3NNaO_5$ $[M+Na]^+$: 588.0604; found: 588.0612.

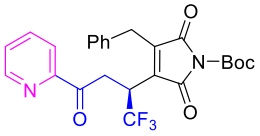
***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-(naphthalen-2-yl)-4-oxobutan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3am).**



White soild; 38.8 mg, 72% yield; 92% ee.; $[\alpha]_D^{20}$ = -112.1 (*c* 1.00, CH_2Cl_2); m.p. 172.3-173.8 °C. The ee was determined by HPLC analysis using a Chiralpak IC column (hexane/ *i*-PrOH = 90/10; flow

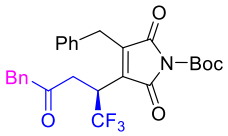
rate: 1.0 mL/min; λ = 254 nm; t_{minor} = 10.31 min, t_{major} = 12.79 min); ^{1}H NMR (300 MHz, Chloroform-*d*) δ 8.37 (s, 1H), 8.01-7.83 (m, 4H), 7.69-7.52 (m, 2H), 7.30 (d, *J* = 7.5 Hz, 2H), 7.22 (t, *J* = 7.5 Hz, 2H), 7.08 (t, *J* = 7.3 Hz, 1H), 4.42-4.34 (m, 1H), 4.29-4.20 (m, 1H), 4.06 (d, *J* = 15.0 Hz, 1H), 3.97 (d, *J* = 15.0 Hz, 1H), 3.65 (dd, *J* = 18.2, 3.2 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 195.2, 166.2, 165.8, 146.2, 145.8, 136.0, 135.4, 134.3, 132.8, 132.5, 130.3, 129.8, 129.1, 129.0, 128.9, 128.8, 127.9, 127.3, 127.2, 125.8 (q, *J* = 279.5 Hz, 1C), 123.5, 85.5, 37.0 (q, *J* = 29.5 Hz, 1C), 35.7, 30.1, 28.0; HRMS (ESI) Calcd. for $C_{30}H_{26}F_3NNaO_5$ $[M+Na]^+$: 560.1655; found: 560.1674.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-4-(pyridin-2-yl)butan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3an).**



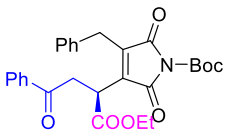
White solid; 48.2 mg, 98% yield; 86% ee.; $[\alpha]_D^{20}$ = -56.6 (*c* 1.00, CH_2Cl_2); m.p. 45.6-47.0 °C. The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 7.94 min, t_{minor} = 8.81 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.72 (d, *J* = 4.7 Hz, 1H), 8.01 (td, *J* = 7.7, 1.7 Hz, 1H), 7.93 (d, *J* = 7.7 Hz, 1H), 7.74-7.63 (m, 1H), 7.30-7.08 (m, 5H), 4.62-4.54 (m, 1H), 4.11 (dd, *J* = 19.0, 9.5 Hz, 1H), 4.04-3.84 (m, 3H), 1.47 (s, 9H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 196.6, 165.7, 165.3, 151.7, 149.2, 145.3, 137.6, 136.0, 134.4, 128.6, 128.5, 128.3, 127.3, 126.6, 125.9 (q, *J* = 281.1 Hz, 1C), 121.6, 84.3, 35.4 (q, *J* = 28.8 Hz, 1C), 34.8, 29.3, 27.4; HRMS (ESI) Calcd. for $C_{25}H_{23}F_3N_2NaO_5$ $[M+Na]^+$: 511.1451; found: 511.1453.

***Tert*-butyl (*S*)-3-benzyl-2,5-dioxo-4-(1,1,1-trifluoro-4-oxo-5-phenylpentan-2-yl)-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ao).**



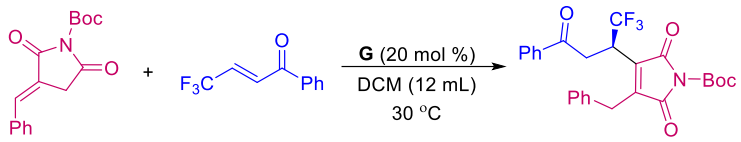
Yellow oil; 21.4 mg, 43% yield; 98% ee.; $[\alpha]_D^{20}$ = +13.2 (*c* 1.00, CH_2Cl_2). The ee was determined by HPLC analysis using a Chiralpak AS-H column (hexane/ *i*-PrOH = 95/5; flow rate: 1.0 mL/min; λ = 254 nm; t_{minor} = 6.52 min, t_{major} = 8.60 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.34-7.18 (m, 8H), 7.14-7.11 (m, 2H), 4.37 (td, *J* = 9.5, 4.8 Hz, 1H), 3.98-3.76 (m, 3H), 3.68 (d, *J* = 16.4 Hz, 1H), 3.45 (dd, *J* = 18.4, 9.1 Hz, 1H), 3.33-3.24 (m, 1H), 1.48 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 203.9, 165.6, 165.1, 145.2, 145.0, 136.1, 134.2, 134.0, 129.7, 128.6, 128.5, 128.3, 126.8, 126.7, 125.7 (q, *J* = 280.4 Hz, 1C), 84.3, 48.1, 38.3, 35.0 (q, *J* = 28.1 Hz, 1C), 29.2, 27.4; HRMS (ESI) Calcd. for $C_{27}H_{26}F_3NNaO_5$ $[M+Na]^+$: 524.1655; found: 524.1650.

***Tert*-butyl (*S*)-3-benzyl-4-(1-ethoxy-1,4-dioxo-4-phenylbutan-2-yl)-2,5-dioxo-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ap).**



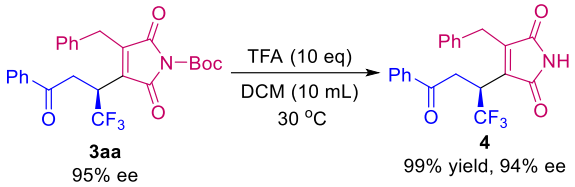
Yellow oil; 16.7 mg, 34% yield; 77% ee.; $[\alpha]_D^{20}$ = +24.2 (*c* 1.00, CH_2Cl_2); The ee was determined by HPLC analysis using a Chiralpak OD column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.50 min, t_{minor} = 6.27 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 7.92 (d, *J* = 7.3 Hz, 2H), 7.66 (t, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.6 Hz, 2H), 7.32-7.16 (m, 5H), 4.57-4.43 (m, 1H), 4.14-3.92 (m, 3H), 3.87 (d, *J* = 4.7 Hz, 1H), 3.80 (d, *J* = 6.8 Hz, 1H), 3.33 (d, *J* = 5.8 Hz, 1H), 1.48 (s, 9H), 1.09 (t, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 196.4, 169.7, 166.5, 165.9, 145.4, 141.6, 139.3, 136.4, 136.0, 133.5, 128.8, 128.7, 128.5, 127.9, 126.7, 84.1, 61.3, 38.2, 35.9, 28.9, 27.5, 13.8; HRMS (ESI) Calcd. for $C_{28}H_{29}NNaO_7$ $[M+Na]^+$: 514.1836; found: 514.1832.

3. Scale-up experiment



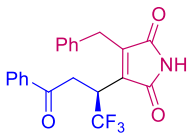
To a solution of catalyst **G** (0.28 g, 0.8 mmol, 20 mol %) and *α*-arylidene succinimide **1a** (2.30 g, 8.0 mmol) in CH_2Cl_2 (12.0 mL) was added *β*-trifluoromethyl enone **2a** (0.80 g, 4.0 mmol**)**. Then the mixture was stirred for 12 h at 30 °C. After completion, the reaction mixture was directly purified by flash chromatography on silica gel column chromatography (petroleum ether/methyl tertiary butyl ether = 17:1) to give the corresponding product **3aa** (1.47 g, 75% yield and 95% ee).

4. Procedure for the synthesis of compound 4



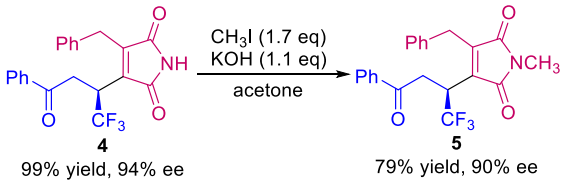
To compound **3aa** (974.9 mg, 2.0 mmol) in 10.0 mL CH_2Cl_2 were added trifluoroacetic acid (1.6 mL, 20 mmol) at 30 °C. After being stirred at 30 °C for 1 h, the reaction mixture was cooled to room temperature and water was added to the reaction mixture. The aqueous layer was extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the solution was concentrated under reduced pressure and the resulting crude mixture was purified by silica gel column chromatography (petroleum ether/AcOEt=10:1) to afford compound **4.**

(*S*)-3-benzyl-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-1*H*-pyrrole-2,5-dione (4).



Yellow solid; 767.2 mg, 99% yield; 94% ee.; $[\alpha]_D^{20}$ = -70.8 (*c* 1.00, CH_2Cl_2); m.p. 94.0-96.5 °C; The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ i-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 7.81 min, t_{minor} = 12.64 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.13 (s, 1H), 8.07-7.83 (m, 2H), 7.64 (t, *J* = 7.3 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 2H), 7.28-7.05 (m, 5H), 4.56-4.35 (m, 1H), 4.05 (dd, *J* = 18.4, 10.0 Hz, 1H), 3.90 (q, *J* = 15.2 Hz, 2H), 3.74 (dd, *J* = 18.4, 4.3 Hz, 1H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 195.7, 171.5, 171.2, 144.1, 136.6, 135.5, 133.7, 133.6, 128.7, 128.5, 128.4, 128.0, 126.4, 126.1 (q, *J* = 280.2 Hz, 1C), 35.4, 35.3 (q, *J* = 28.8 Hz, 1C), 29.0. HRMS (ESI) Calcd. for $C_{21}H_{16}F_3NNaO_3$ $[M+Na]^+$: 410.0974; found: 410.0958.

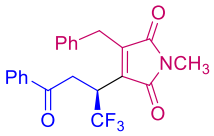
5. Procedure for the synthesis of compound 5



Compound **4** (38.7 mg, 0.1 mmol) and 1.5 mL acetone were added to a test tube. The solution was cooled to 0 °C and KOH (6.2 mg, 0.11 mmol) was added. After being stirred at 0 °C for 30 min, CH_3I (11 μL, 0.17 mmol) were added quickly. The reaction mixture stirred at room

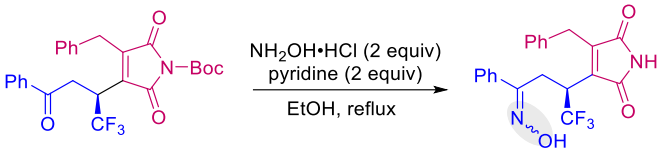
temperature for 24 h. After completion, the reaction mixture was directly purified by silica gel column chromatography (petroleum ether/AcOEt=10:1) to afford compound **5**.

(*S*)-3-benzyl-1-methyl-4-(1,1,1-trifluoro-4-oxo-4-phenylbutan-2-yl)-1*H*-pyrrole-2,5-dione (5).



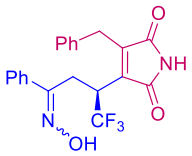
Yellow oil; 31.5 mg, 79% yield; 90% ee.; $[\alpha]_D^{20}$ = -14.4 (*c* 1.00, CH_2Cl_2) The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/ *i*-PrOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 6.47 min, t_{minor} = 10.28 min); ^{1}H NMR (300 MHz, Chloroform-*d*) δ 7.91-7.77 (m, 2H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 2H), 7.31-7.15 (m, 4H), 7.10 (t, *J* = 7.0 Hz, 1H), 4.34-4.18 (m, 1H), 4.10 (dd, *J* = 18.1, 10.6 Hz, 1H), 3.92 (q, *J* = 15.1 Hz, 2H), 3.44 (dd, *J* = 18.1, 3.3 Hz, 1H), 2.93 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 195.5, 170.5, 170.2, 145.2, 135.9, 135.7, 134.0, 132.9, 129.0, 128.9, 128.8, 128.2, 127.1, 126.0 (q, *J* = 280.4 Hz, 1C), 36.8 (q, *J* = 29.6 Hz, 1C), 35.7, 30.0, 24.2; HRMS (ESI) Calcd. for $C_{22}H_{18}F_3NNaO_3$ $[M+Na]^+$: 424.1131; found: 424.1129.

6. Procedure for the synthesis of compound 6



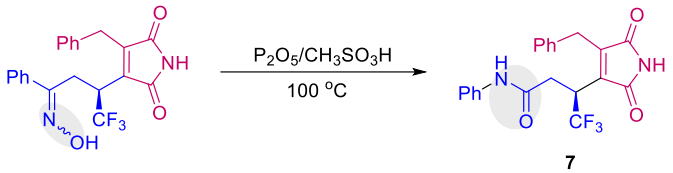
To compound **3aa** (243.5 mg, 0.5 mmol) in 5.0 mL EtOH were added hydroxylamine hydrochloride (70 mg, 1.0 mmol) and pyridine (75 μL, 1 mmol). The mixture was stirred under reflux condition for 2 h. After completion, the aqueous layer was extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the solution was concentrated under reduced pressure and the resulting crude mixture was purified by silica gel column chromatography (petroleum ether/AcOEt=5:1) to afford compound **6** (white solid, 151.0 mg, 75% yield).

(*S*)-3-benzyl-4-(1,1,1-trifluoro-4-(hydroxyimino)-4-phenylbutan-2-yl)-1*H*-pyrrole-2,5-dione (6).



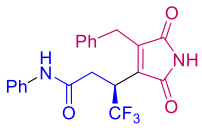
White solid; 151 mg, 75% yield; 94% ee; $[\alpha]_D^{20}$ = +17.9 (*c* 1.00, CH_2Cl_2); m.p. 174.8-176.2 °C. The ee was determined by HPLC analysis using a Chiralpak IC column (hexane/EtOH = 95/5; flow rate: 1.0 mL/min; λ = 254 nm; t_{minor} = 8.21 min, t_{major} = 13.34 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.70 (s, 1H), 11.00 (s, 1H), 7.55-7.45 (m, 2H), 7.41-7.31 (m, 3H), 7.30-7.15 (m, 3H), 7.13-7.03 (m, 2H), 4.23-3.94 (m, 1H), 3.73 (d, *J* = 15.0 Hz, 1H), 3.54-3.36 (m, 3H); ^{13}C NMR (151 MHz, DMSO-d_6) δ 171.0, 170.5, 152.8, 145.2, 136.3, 135.1, 133.0, 129.0, 128.6, 128.5, 128.4, 126.6, 126.0, 125.6 (1C, q, *J* = 281.4 Hz), 37.36 (1C, q, *J* = 25.0 Hz), 28.7, 22.8; HRMS (ESI) Calcd. for $C_{21}H_{18}F_3N_2O_3$ $[M+H]^+$: 403,1270; found: 403.1264.

7. Procedure for the synthesis of compound 7



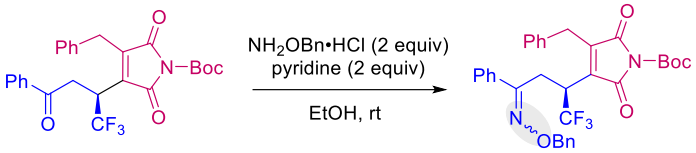
In a test tube, phosphorus pentoxide (50 mg) and methane sulfonic acid (0.4 mL) were added and the mixture was stirred in 50 ºC for 1h. The compound **6** (30.3mg) were added, and the solution was heated to 100 ºC for 3 h. After completion, the reaction was quenched with water and extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the solution was concentrated under reduced pressure and the resulting crude mixture was purified by silica gel column chromatography (petroleum ether/AcOEt=5:1) to afford compound **7** (white solid, 15.3 mg, 51% yield).

(*S*)-3-(4-benzyl-2,5-dioxo-2,5-dihydro-1*H*-pyrrol-3-yl)-4,4,4-trifluoro-N-phenylbutanamide (7).



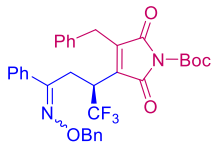
White solid; 15.3 mg, 51% yield; 96% ee; $[\alpha]_D^{20}$ = -17.4 (*c* 1.00, CH_2Cl_2); m.p. 159.4-161.8 °C. The ee was determined by HPLC analysis using a Chiralpak IA column (hexane/EtOH = 80/20; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 5.42 min, t_{minor} = 7.67 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.09 (s, 1H), 10.15 (s, 1H), 7.57-7.48 (m, 2H), 7.34-7.26 (m, 2H), 7.26-7.12 (m, 5H), 7.10-7.00 (m, 1H), 4.48-4.31 (m, 1H), 3.94-3.75 (m, 2H), 3.30-3.20 (m, 1H), 3.15-3.03 (m, 1H); ^{13}C NMR (151 MHz, DMSO-d_6) δ 171.3, 170.9, 167.1, 144.7, 138.7, 136.6, 133.5, 128.8, 128.6, 128.4, 126.5, 125.9 (1C, q, *J* = 279.8 Hz), 123.4, 119.1, 36.40 (1C, q, *J* = 27.2 Hz), 32.7, 29.0; HRMS (ESI) Calcd. for $C_{21}H_{18}F_3N_2O_3$ $[M+H]^+$: 403,1270; found: 403.1259.

8. Procedure for the synthesis of compound 8



To compound **3aa** (83.0 mg, 0.17 mmol) in 2.0 mL EtOH were added NH_2OBn•HCl (54.4 mg, 0.34 mmol) and pyridine (25.5 μL, 0.34 mmol). The solution was stirred at room temperature for 12 h. After completion, the reaction was quenched with water and extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the solution was concentrated under reduced pressure and the resulting crude mixture was purified by silica gel column chromatography (petroleum ether/AcOEt=15:1) to afford compound **8** (colorless oil, 54.3 mg, 54% yield).

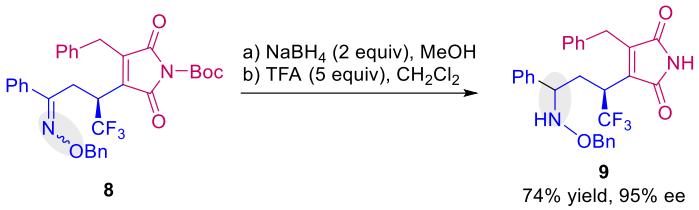
(*S*)-3-benzyl-4-(4-((benzyloxy)imino)-1,1,1-trifluoro-4-phenylbutan-2-yl)-1*H*-pyrrole-2,5-dione (8).



Colorless oil; 54.3 mg, 54% yield; 93% ee; $[\alpha]_D^{20}$ = +49.9 (*c* 1.00, CH_2Cl_2). The ee was determined by HPLC analysis using a Chiralpak IA column (hexane/EtOH = 95/5; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 4.55 min, t_{minor} = 5.52 min); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.53-7.47 (m, 2H), 7.42-7.30 (m, 8H), 7.29-7.20 (m, 4H), 7.09-7.03 (m, 2H), 5.14 (dd, *J* = 12.4, 16.0 Hz, 2H), 4.05 (td, *J* =

9.1 Hz, 4.6 Hz, 1H), 3.80-3.66 (m, 1H), 3.58-3.45 (m, 3H), 1.47 (s, 9H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.7, 154.7, 145.5, 137.9, 136.1, 134.3, 134.1, 130.1, 129.2, 129.1, 129.0, 128.8, 128.8, 128.7, 128.4, 128.3, 127.7, 127.1, 126.9, 84.7, 76.3, 29.3, 27.9, 23.7； HRMS (ES) Calcd. for $C_{33}H_{32}F_3N_2O_5$ $[M+H]^+$: 593.2263; found: 593.2256.

9. Procedure for the synthesis of compound 9

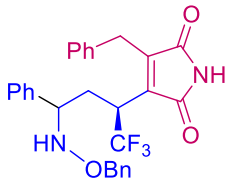


8 **9**

74% yield, 95% ee

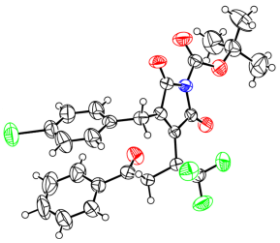
To compound **8** (59.3 mg, 0.1 mmol) in 1.0 mL MeOH were added sodium borohydride (7.6 mg, 0.2 mmol) at 0 ºC. And then the solution was stirred at room temperature for 30 min. After completion, the aqueous layer was quenched with water, and extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the solution was concentrated under reduced pressure and the resulting crude mixture was purified by silica gel column chromatography (petroleum ether/AcOEt=10:1) to get the desired product. After that, the product dissolved in 1 mL CH_2Cl_2, and 37.0 μL TFA was added. The solution was stirred at room temperature for 30 min, the aqueous layer was extracted with H_2O and CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the solution was concentrated under reduced pressure and the resulting crude mixture was purified by silica gel column chromatography (petroleum ether/AcOEt=5:1) to afford compound **9.**

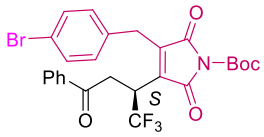
3-benzyl-4-((2*S*)-4-((benzyloxy)amino)-1,1,1-trifluoro-4-phenylbutan-2-yl)-1*H*-pyrrole-2,5-dione (9).



Colorless oil; 36.1 mg, 74% yield; >95:5 dr, 95% ee; $[\alpha]_D^{20}$ = +16.0 (*c* 1.00, CH_2Cl_2). The ee was determined by HPLC analysis using a Chiralpak OD-H column (hexane/EtOH = 90/10; flow rate: 1.0 mL/min; λ = 254 nm; t_{major} = 6.83 min, t_{minor} = 7.89 min); ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.45 (s, 1H), 7.62-7.53 (m, 2H), 7.43-7.36 (m, 6H), 7.33-7.26 (m, 3H), 7.26-7.20 (m, 2H), 7.14-7.06 (m, 2H), 6.24 (d, *J* = 7.9 Hz, 1H), 5.19 (s, 2H), 5.05-4.99 (m, 1H), 3.97-3.82 (m, 1H), 3.64-3.37 (m, 3H), 3.31-3.13 (m, 1H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 169.9, 155.2, 137.5, 137.1, 134.5, 129.4, 128.7, 128.6, 128.4, 128.1, 127.9, 127.8, 126.5, 126.4, 126.3 (1C, q, *J* = 282.8 Hz) 78.0, 75.9, 37.1, 31.2, 28.4, 24.3; HRMS (ESI) Calcd. for $C_{28}H_{26}F_3N_2O_3$ $[M+H]^+$: 495.1907; found: 495.1917.

10. X-ray crystal structure of 3ma





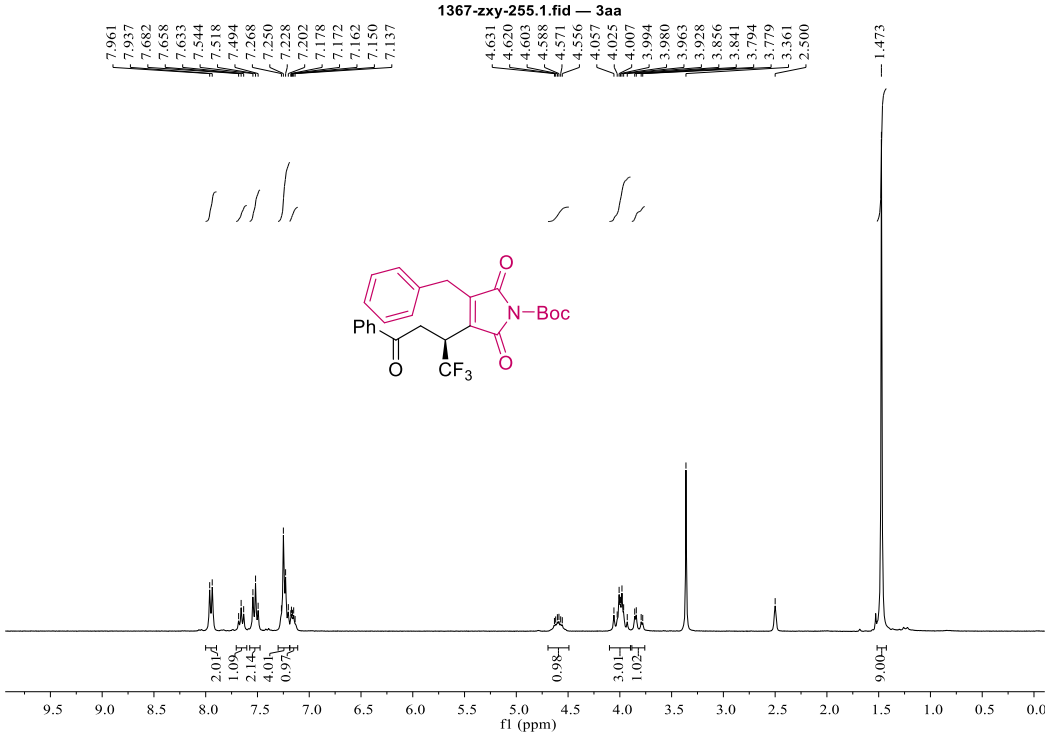
3ma (CCDC 1975886)

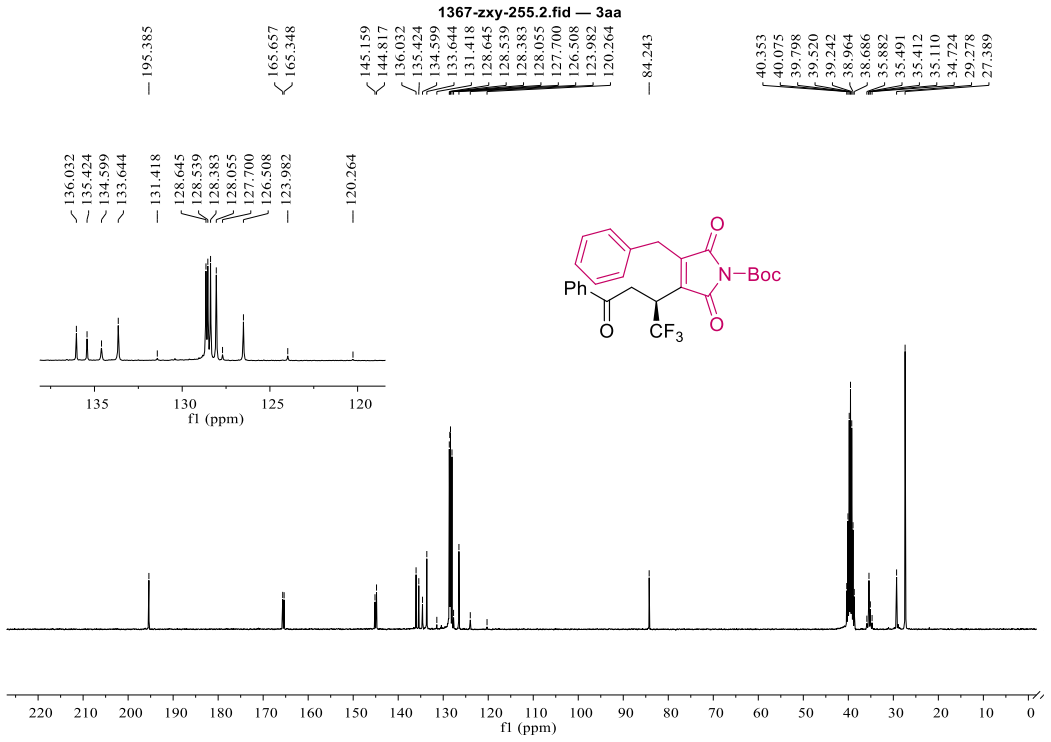
Crystal data and structure refinement for **3ma (**CCDC 1975886**)**

Identification code	**3ma**
Empirical formula	$C_{26}H_{23}BrF_3NO_5$
Formula weight	566.36
Temperature/K	293(2)
Crystal system	monoclinic
Space group	$P2_1$
a/Å	8.78349(14)
b/Å	25.2447(4)
c/Å	11.5445(2)
α/°	90
β/°	92.4781(16)
γ/°	90
Volume/Å^3	2557.43(7)
Z	4
ρ_{calc}g/cm^3	1.471
μ/mm^{-1}	2.712
F(000)	1152.0
Crystal size/mm^3	0.13 × 0.11 × 0.1
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/°	7.004 to 141.872
Index ranges	-10 ≤ h ≤ 6, -29 ≤ k ≤ 30, -13 ≤ l ≤ 14
Reflections collected	19354
Independent reflections	9594 [R_{int} = 0.0305, R_{sigma} = 0.0391]
Data/restraints/parameters	9594/1/655
Goodness-of-fit on F^2	1.016
Final R indexes [I>=2σ (I)]	R_1 = 0.0477, wR_2 = 0.1254
Final R indexes [all data]	R_1 = 0.0526, wR_2 = 0.1310
Largest diff. peak/hole / e Å^{-3}	0.87/-0.53
Flack parameter	-0.034(9)

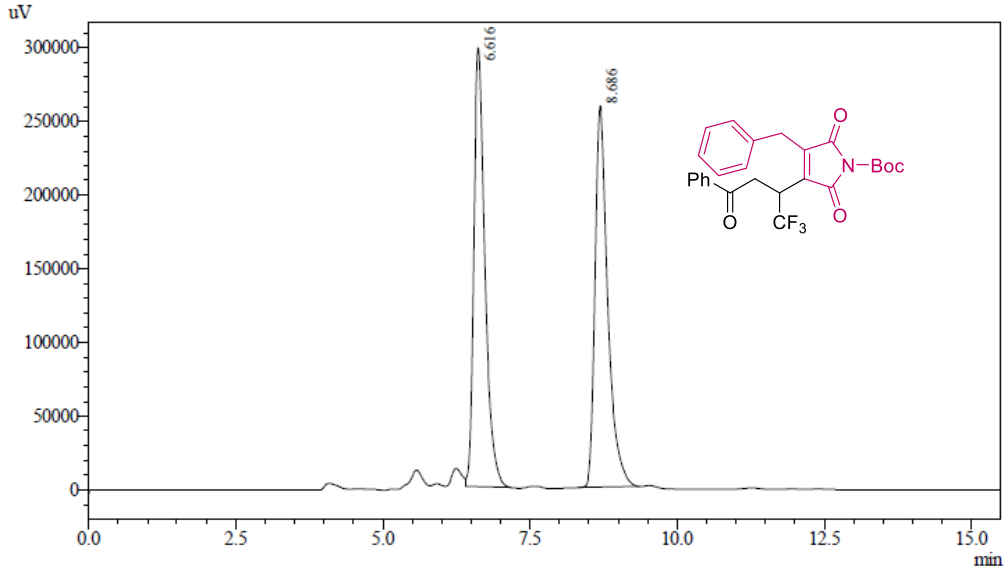
11. ^{1}H, ^{13}C NMR, and HPLC spectra for compounds 3, 4, 5, 6, 7, 8, and 9

^{1}H and ^{13}C NMR of **3aa**





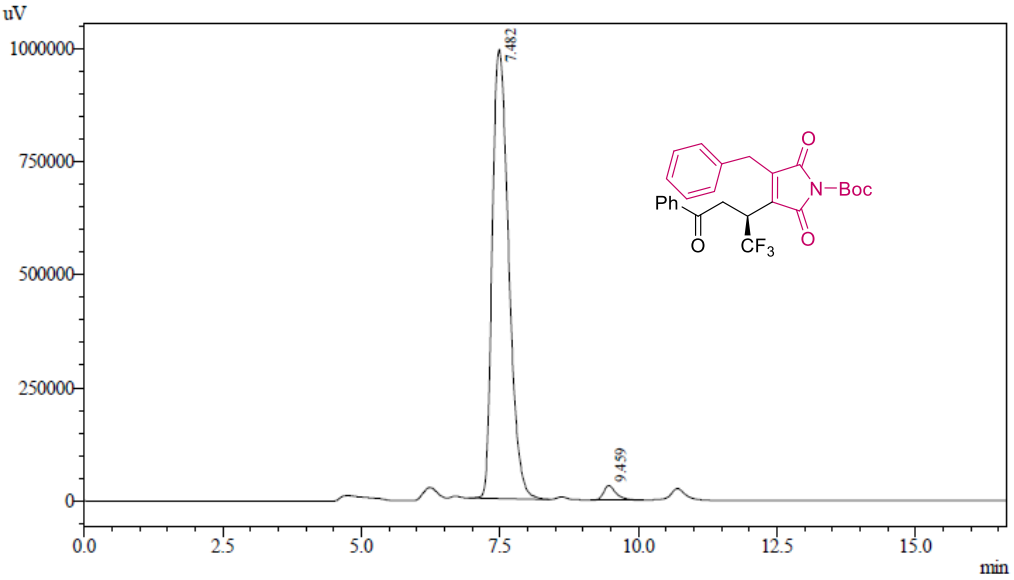
HPLC of **3aa**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.616	3912190	297666	50.087	53.472
2	8.686	3898580	259011	49.913	46.528
Total		7810770	556677	100.000	100.000

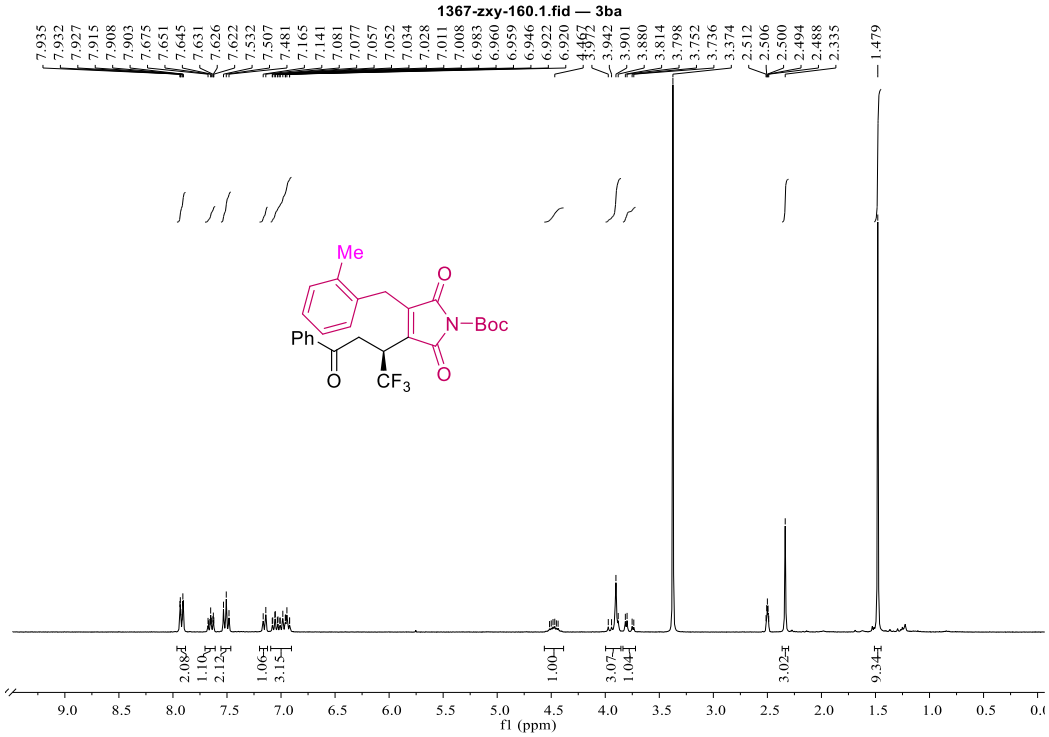


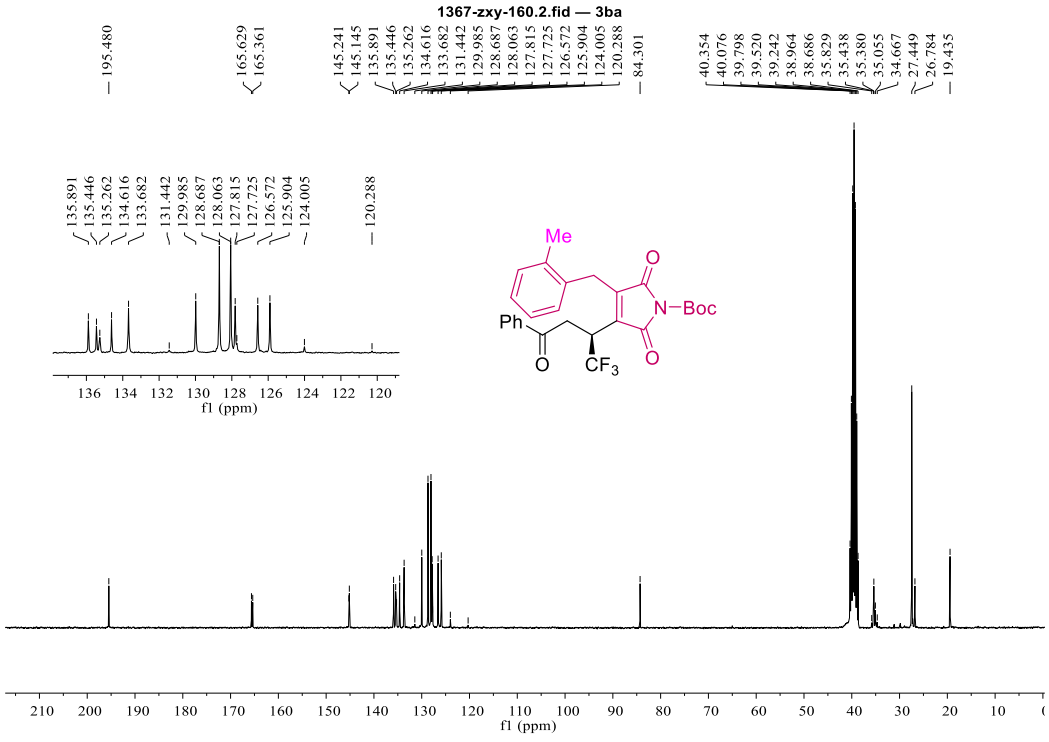
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

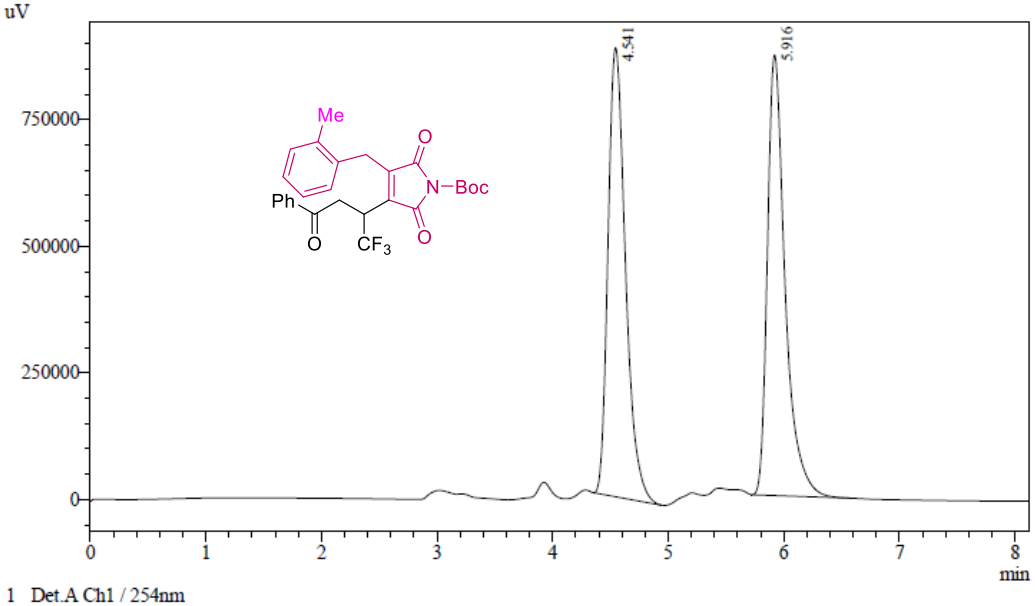
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.482	20437242	992518	97.593	96.850
2	9.459	503986	32276	2.407	3.150
Total		20941229	1024794	100.000	100.000

^{1}H and ^{13}C NMR of **3ba**





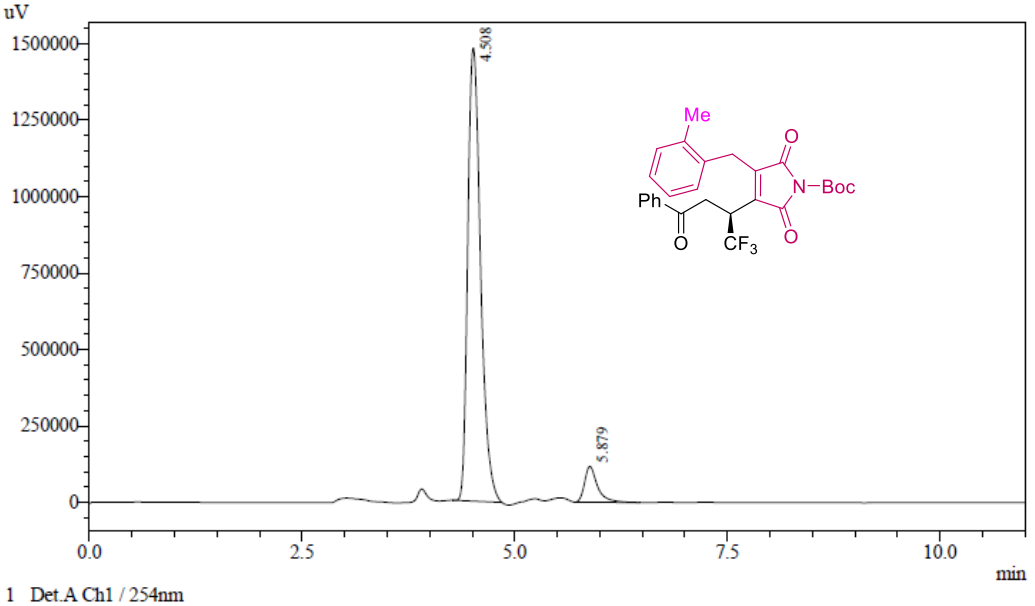
HPLC of **3ba**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.541	9306714	886630	50.104	50.474
2	5.916	9268045	869981	49.896	49.526
Total		18574760	1756611	100.000	100.000

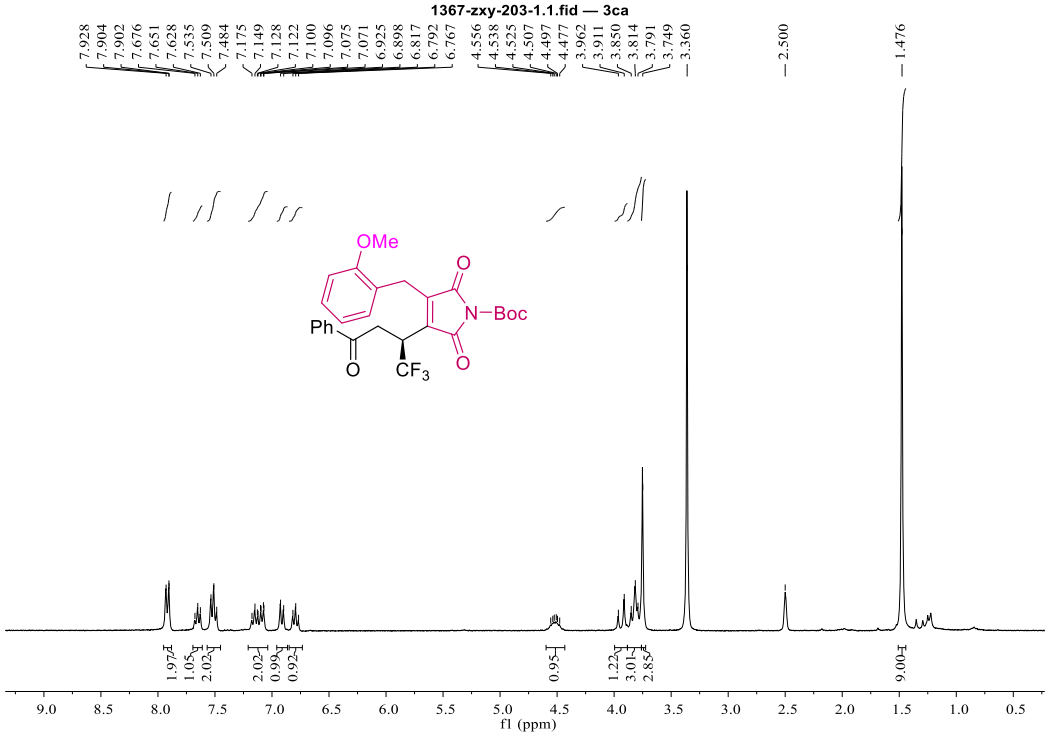


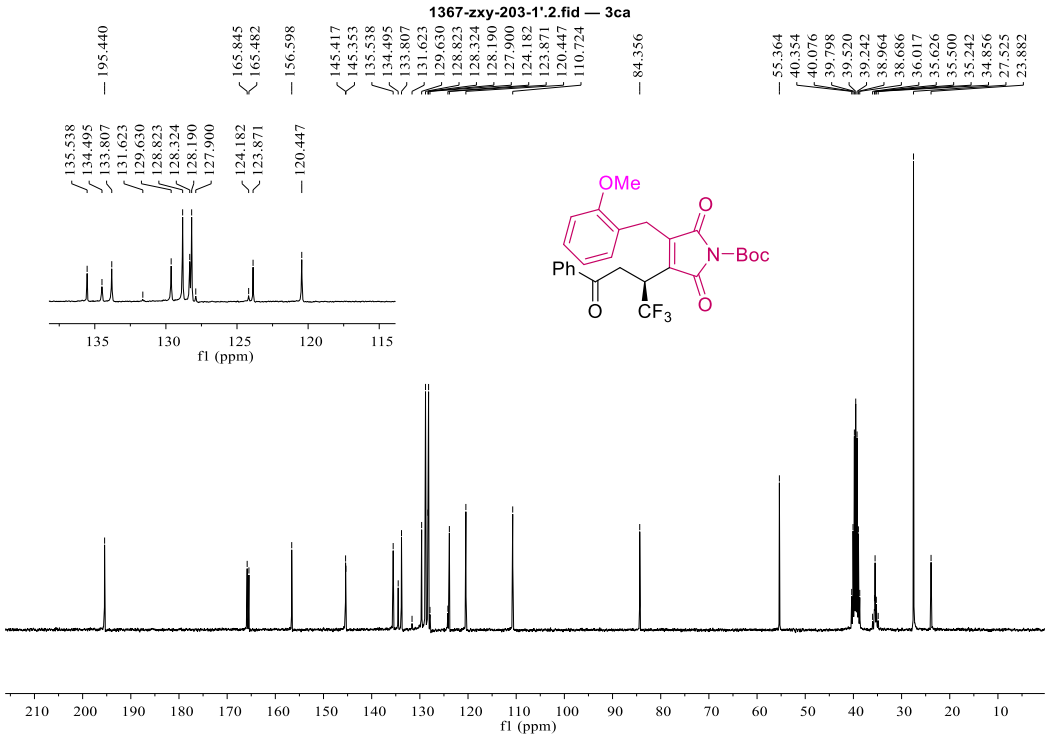
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

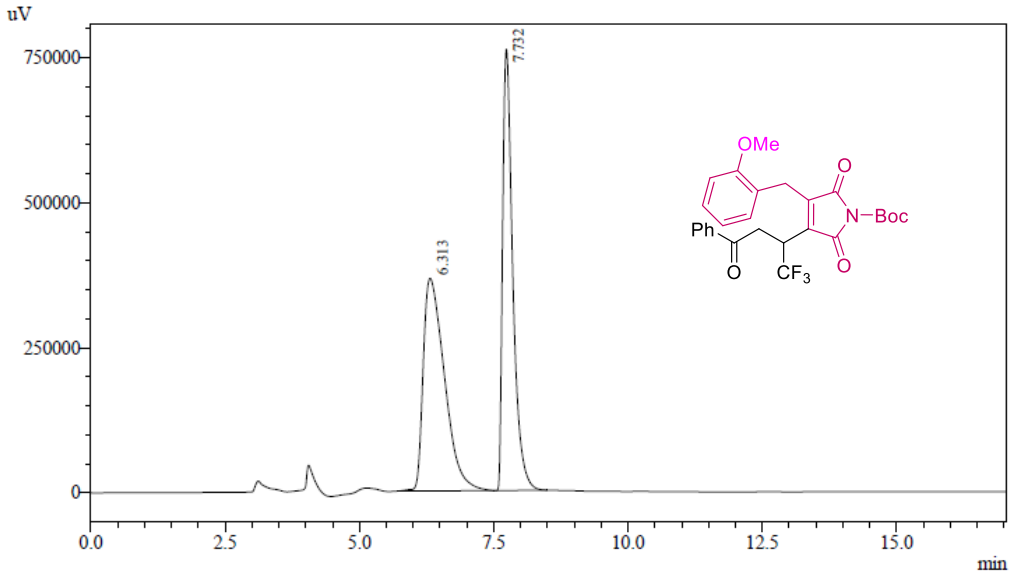
Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.508	15531593	1481356	92.634	92.665
2	5.879	1234979	117265	7.366	7.335
Total		16766572	1598620	100.000	100.000

^{1}H and ^{13}C NMR of **3ca**





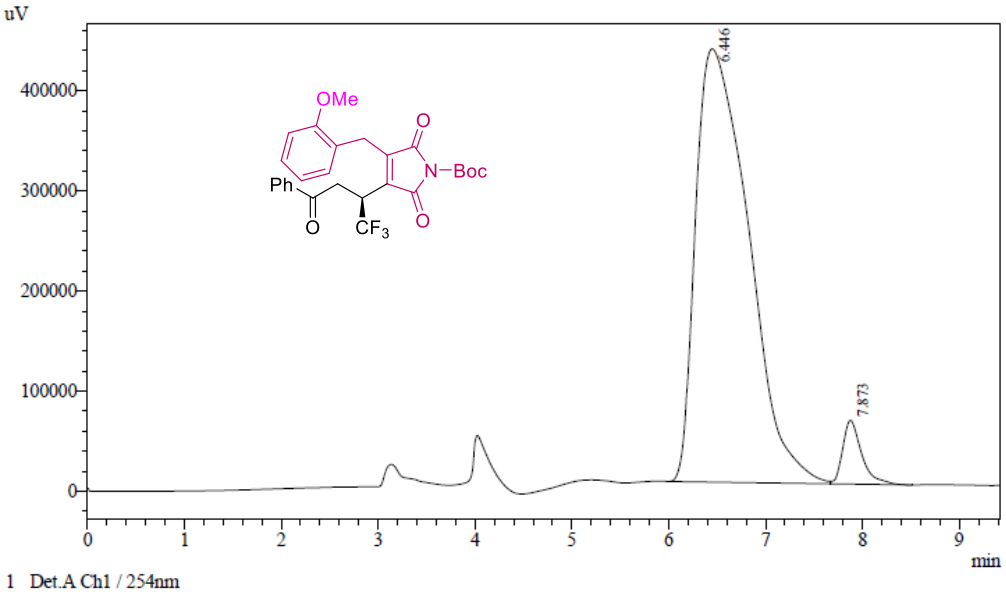
HPLC of **3ca**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.313	10078099	366075	50.197	32.513
2	7.732	9998955	759864	49.803	67.487
Total		20077054	1125939	100.000	100.000

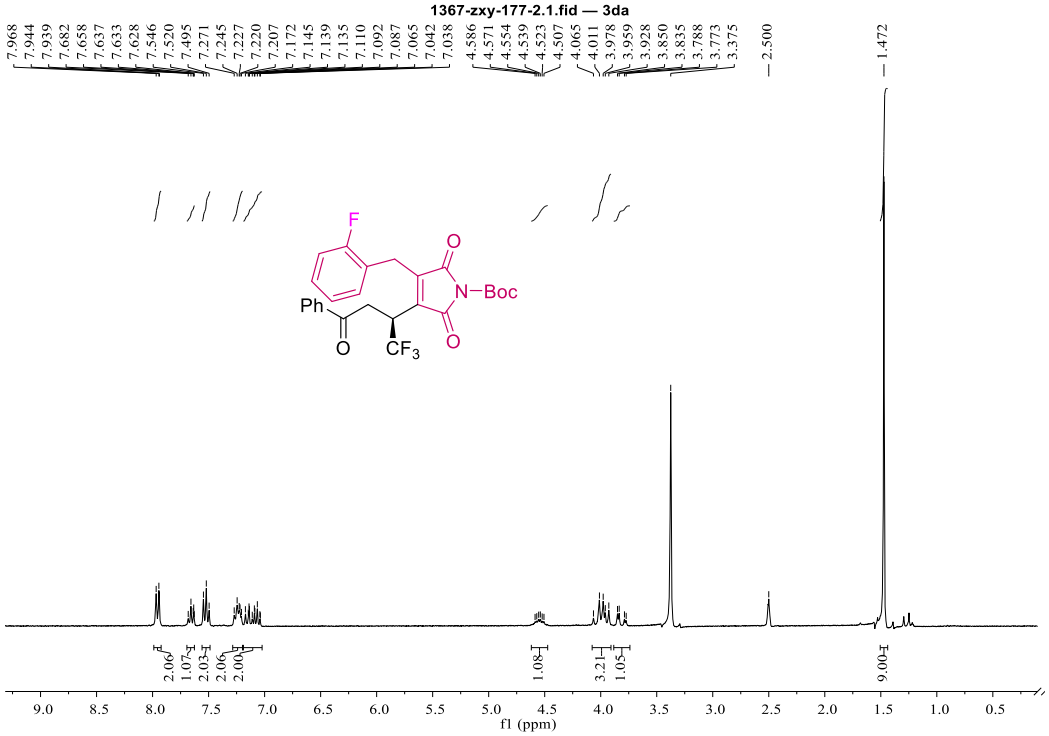


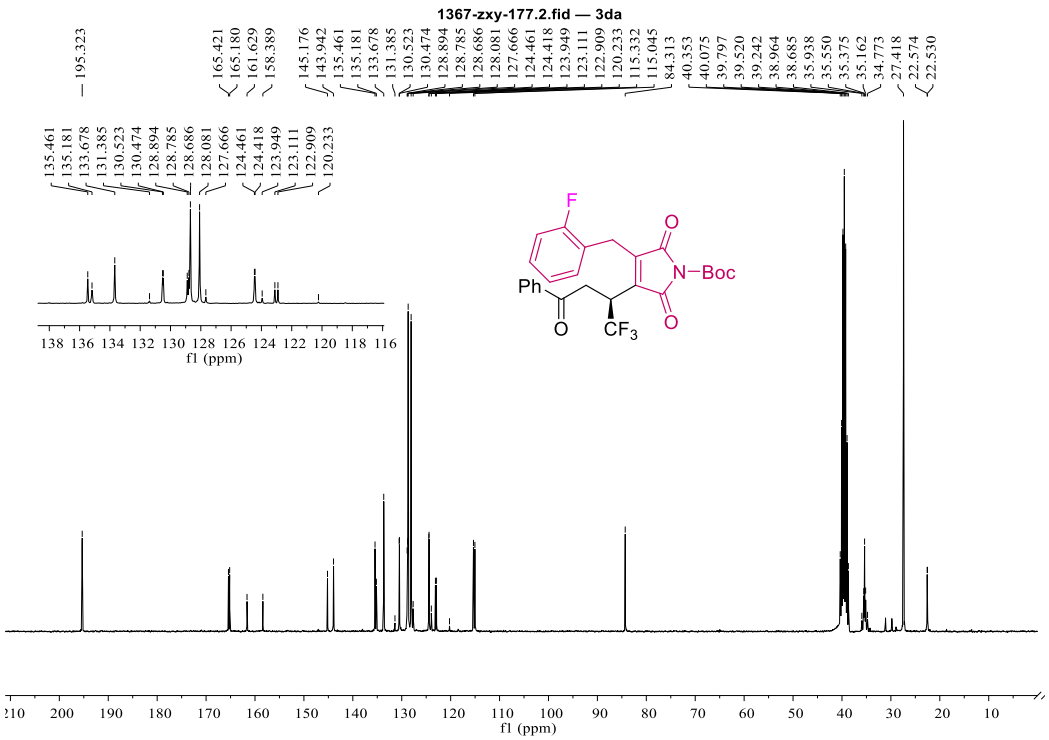
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

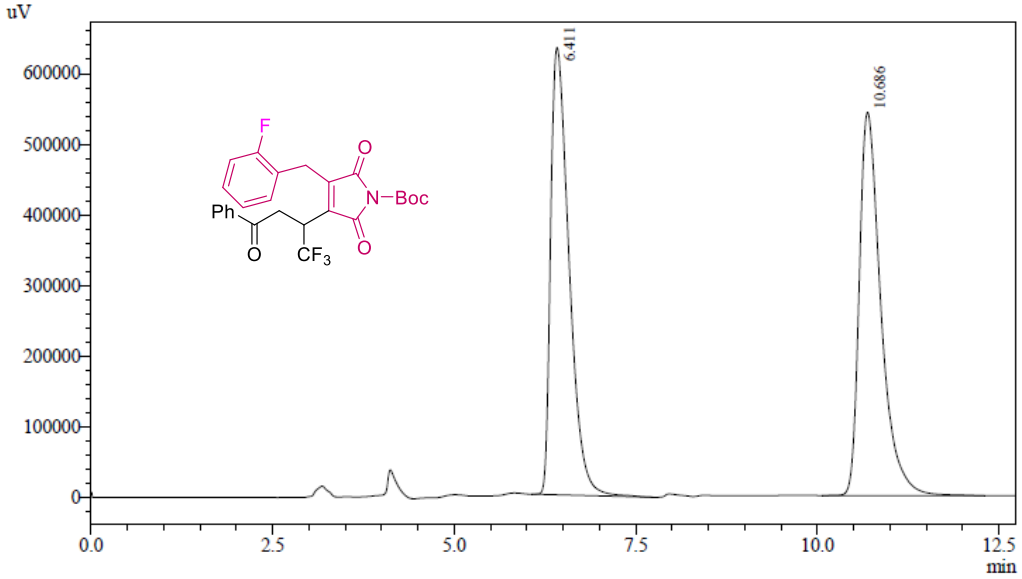
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.446	16395713	432655	94.995	87.187
2	7.873	863807	63583	5.005	12.813
Total		17259520	496238	100.000	100.000

^{1}H and ^{13}C NMR of **3da**





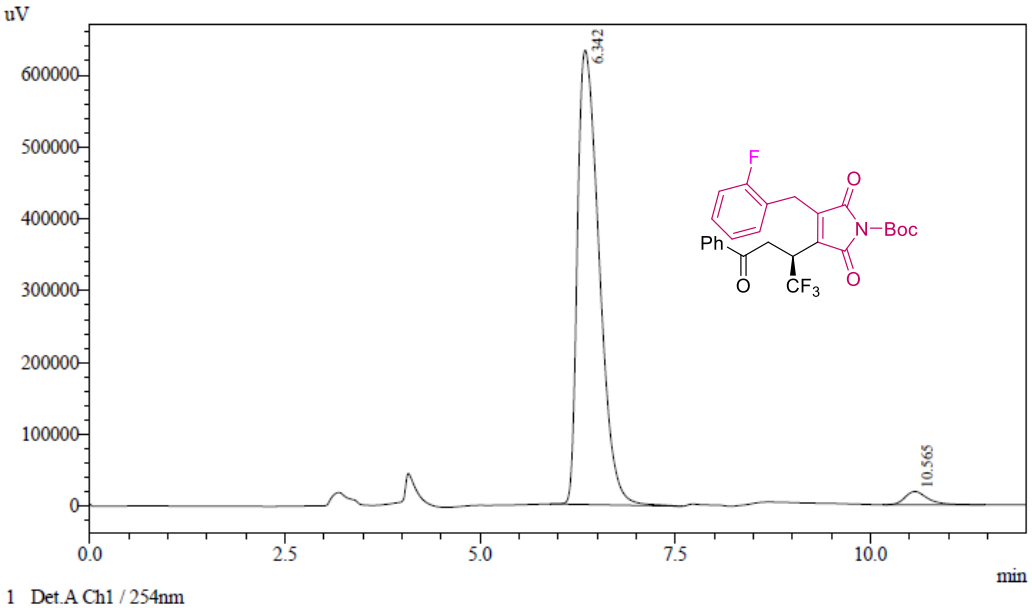
HPLC of **3da**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.411	11181742	633187	49.799	53.841
2	10.686	11272075	542854	50.201	46.159
Total		22453816	1176040	100.000	100.000

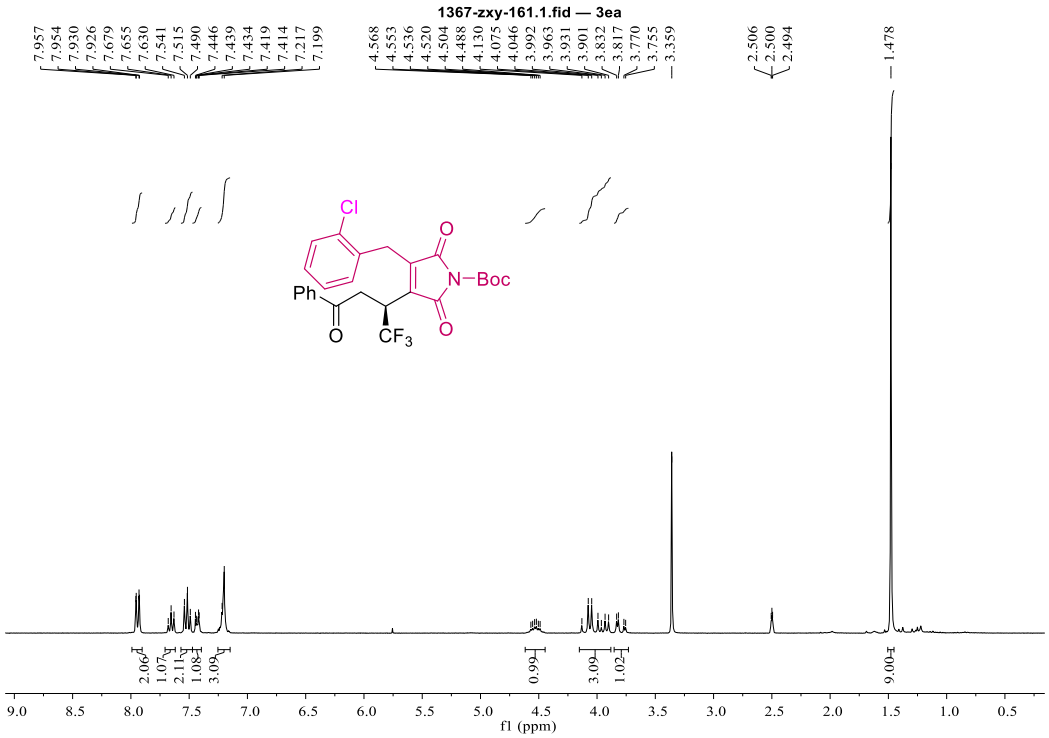


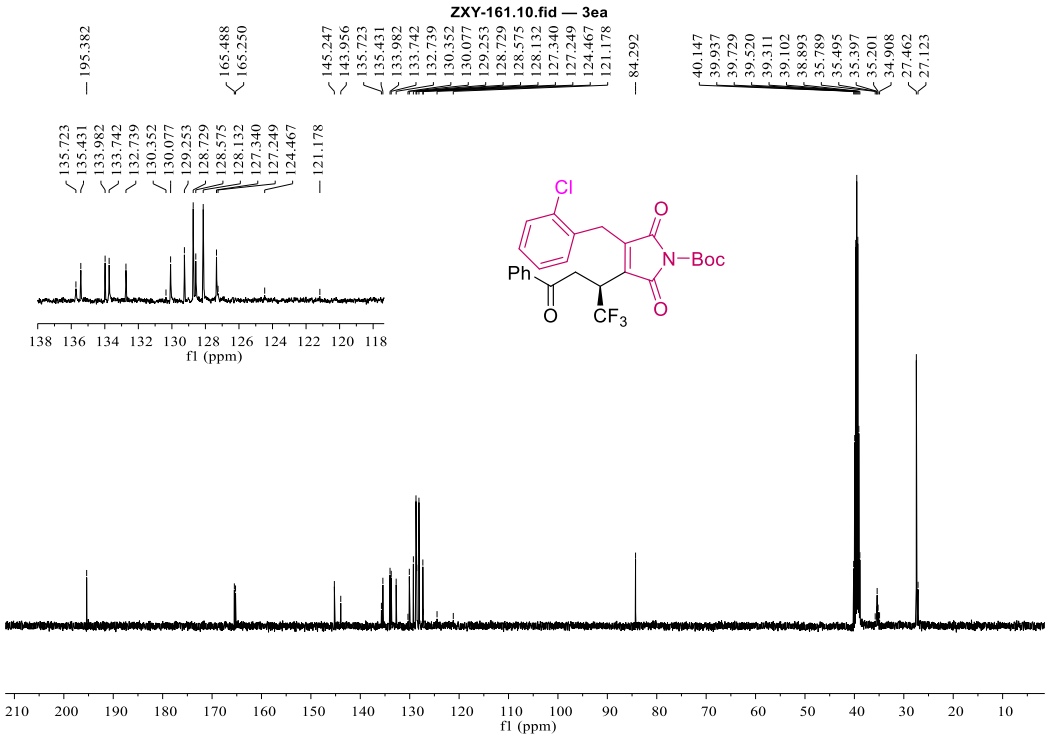
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

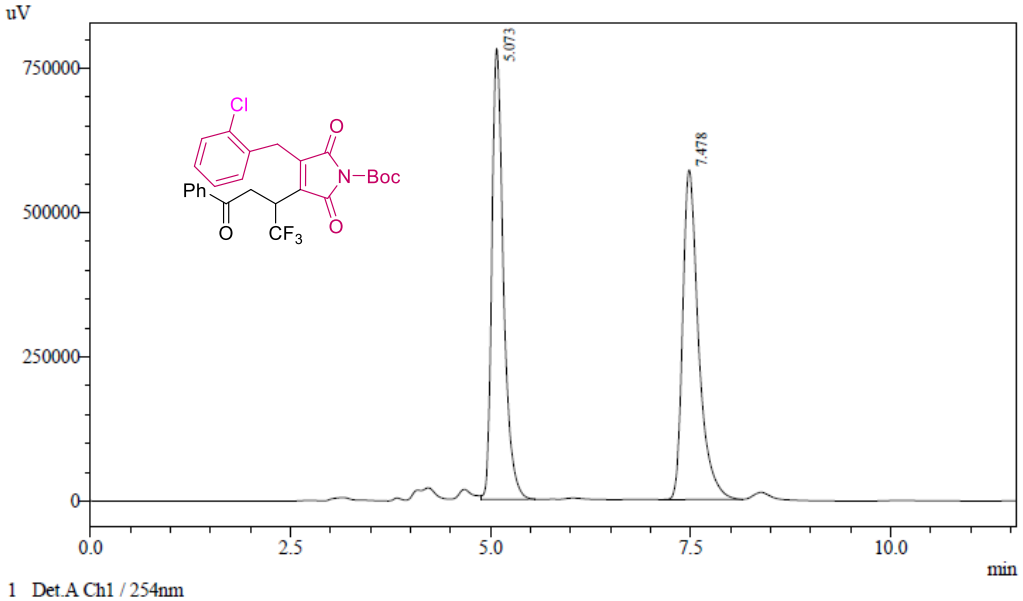
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.342	12218080	632387	97.056	97.183
2	10.565	370580	18329	2.944	2.817
Total		12588660	650716	100.000	100.000

^{1}H and ^{13}C NMR of **3ea**





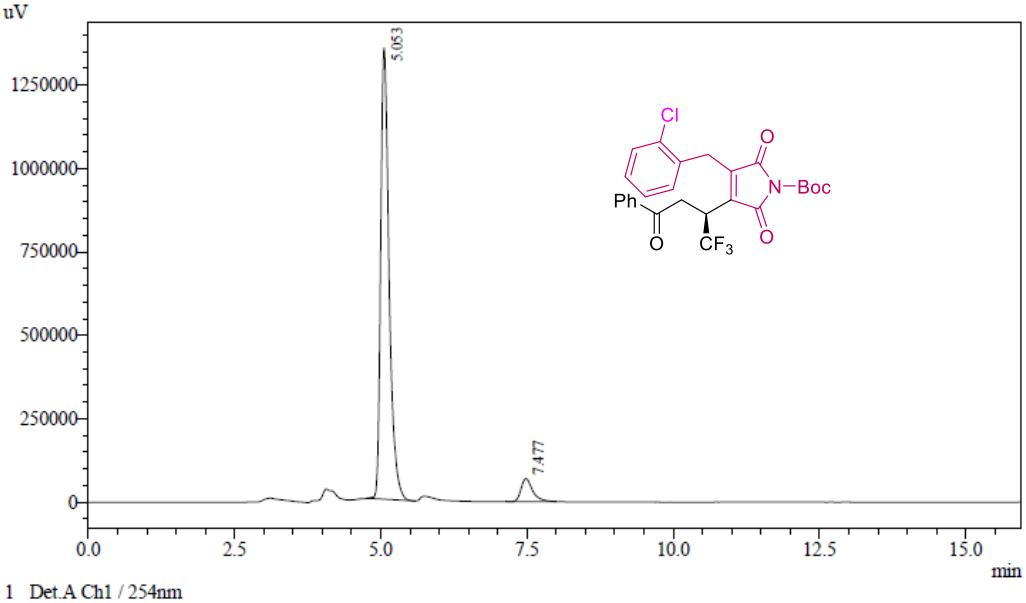
HPLC of **3ea**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.073	7893486	781434	50.004	57.767
2	7.478	7892142	571311	49.996	42.233
Total		15785628	1352745	100.000	100.000

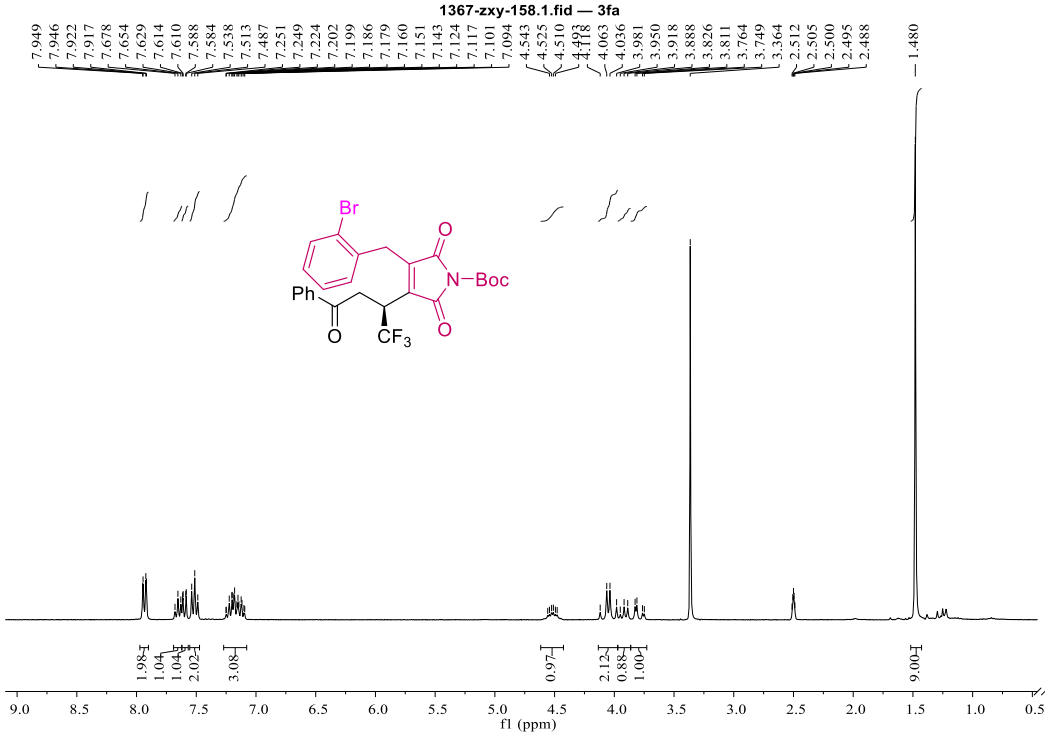


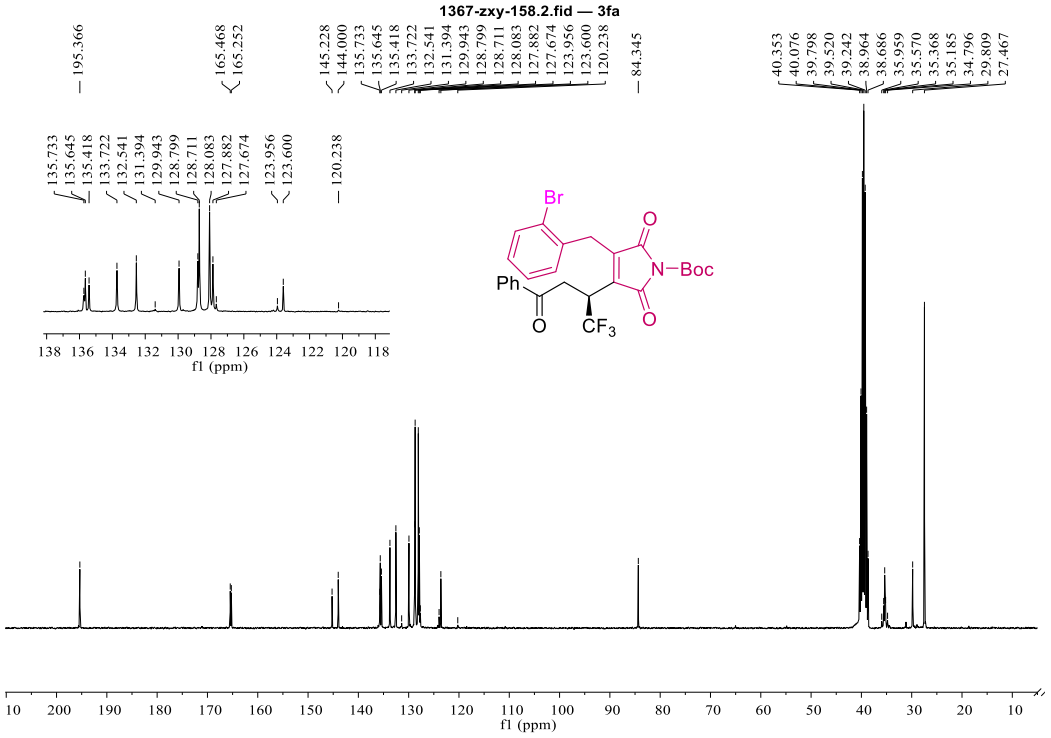
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

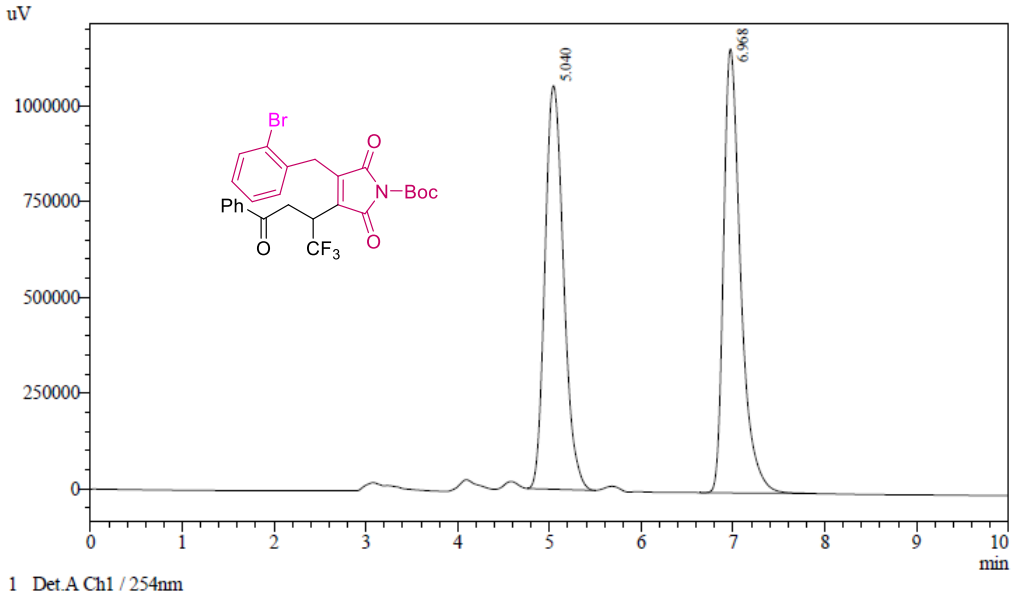
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.053	13562568	1352143	93.415	95.127
2	7.477	955980	69262	6.585	4.873
Total		14518547	1421405	100.000	100.000

^{1}H and ^{13}C NMR of **3fa**





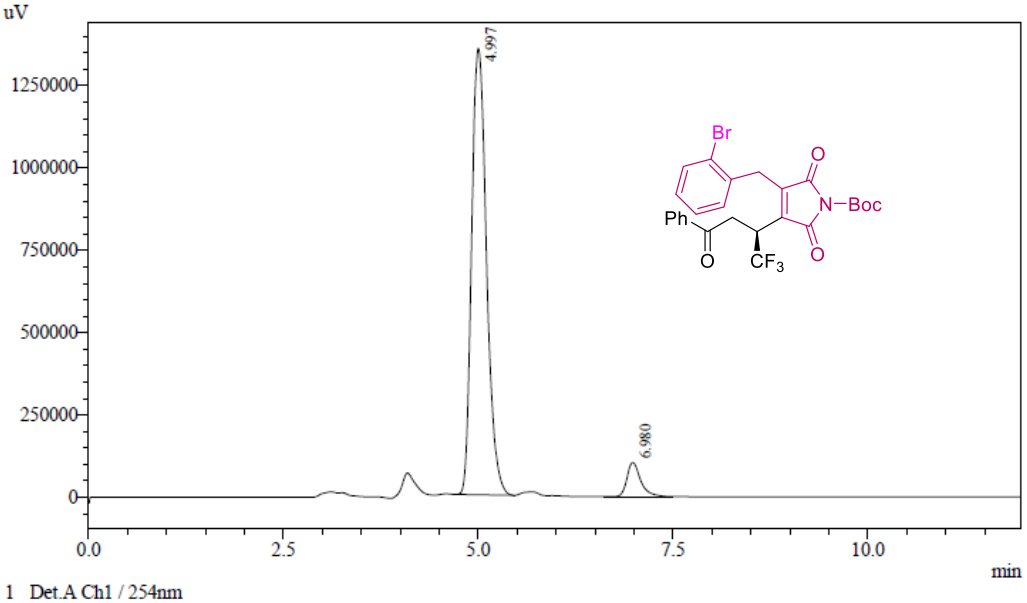
HPLC of **3fa**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.040	14872321	1053583	49.689	47.615
2	6.968	15058191	1159128	50.311	52.385
Total		29930512	2212711	100.000	100.000

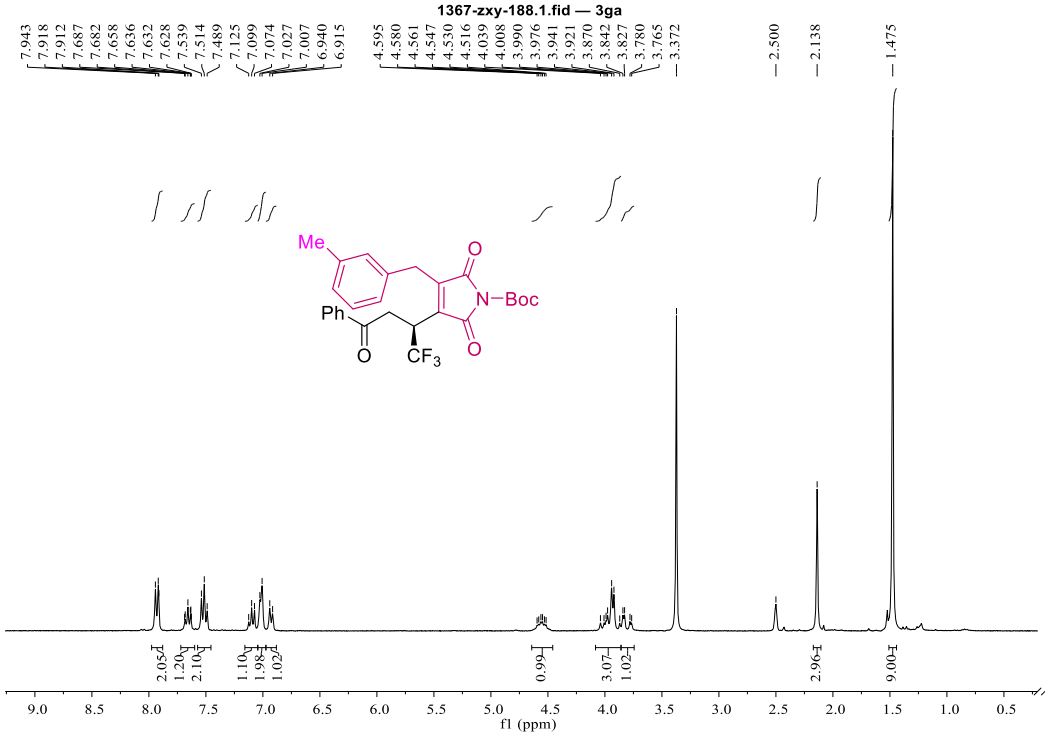


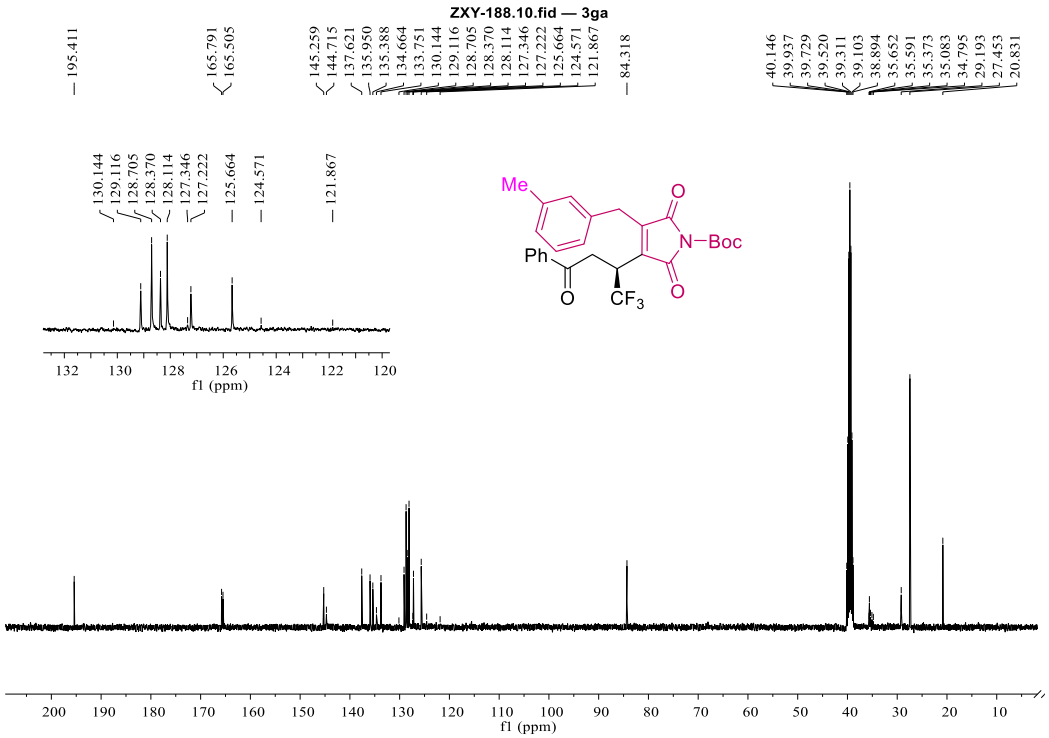
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

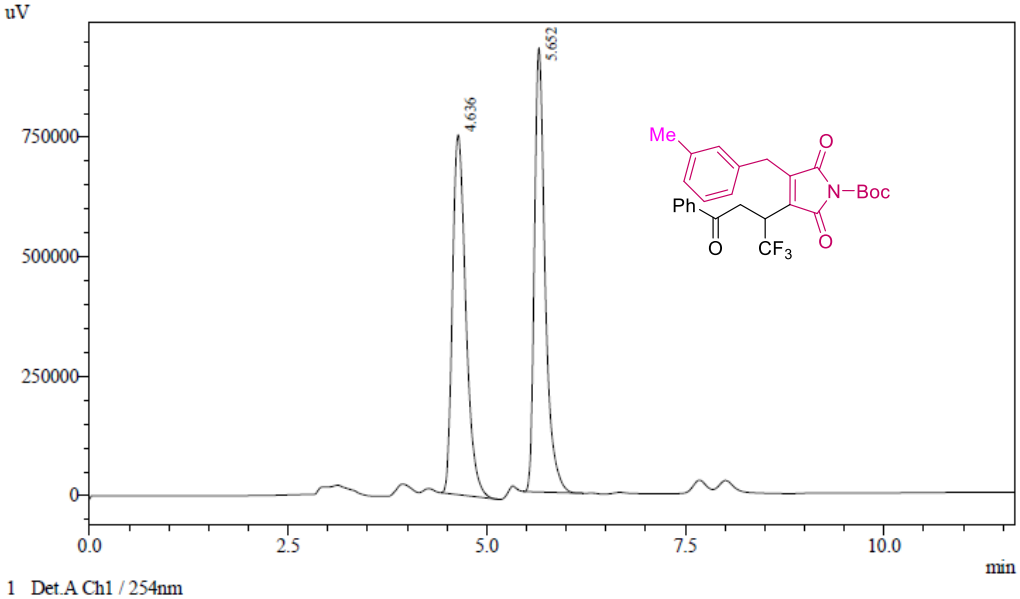
Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.997	18059682	1356277	93.446	92.883
2	6.980	1266552	103915	6.554	7.117
Total		19326234	1460192	100.000	100.000

^{1}H and ^{13}C NMR of **3ga**





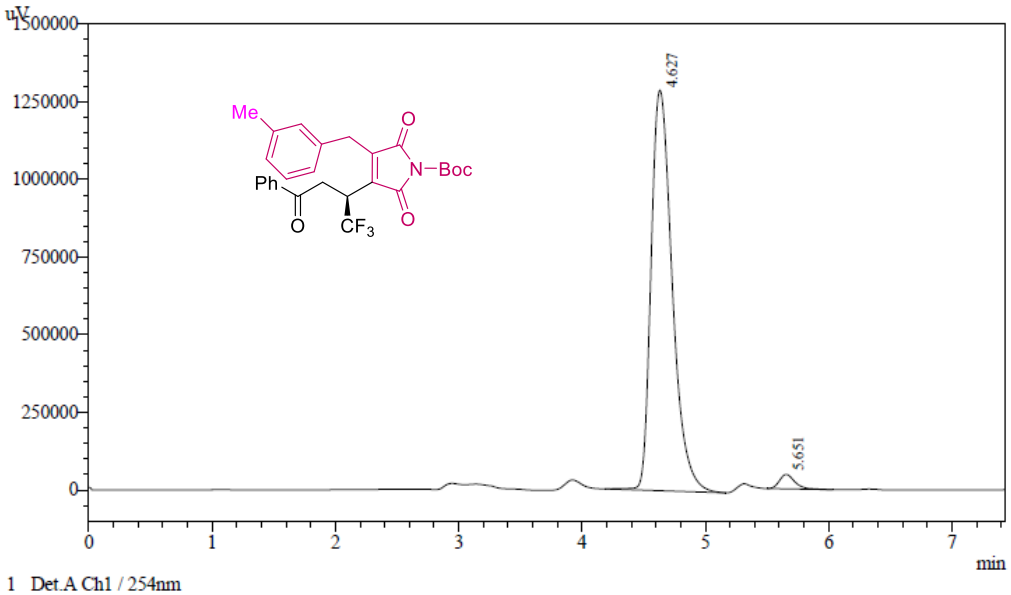
HPLC of **3ga**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.636	8788345	752904	50.167	44.766
2	5.652	8729906	928961	49.833	55.234
Total		17518251	1681866	100.000	100.000

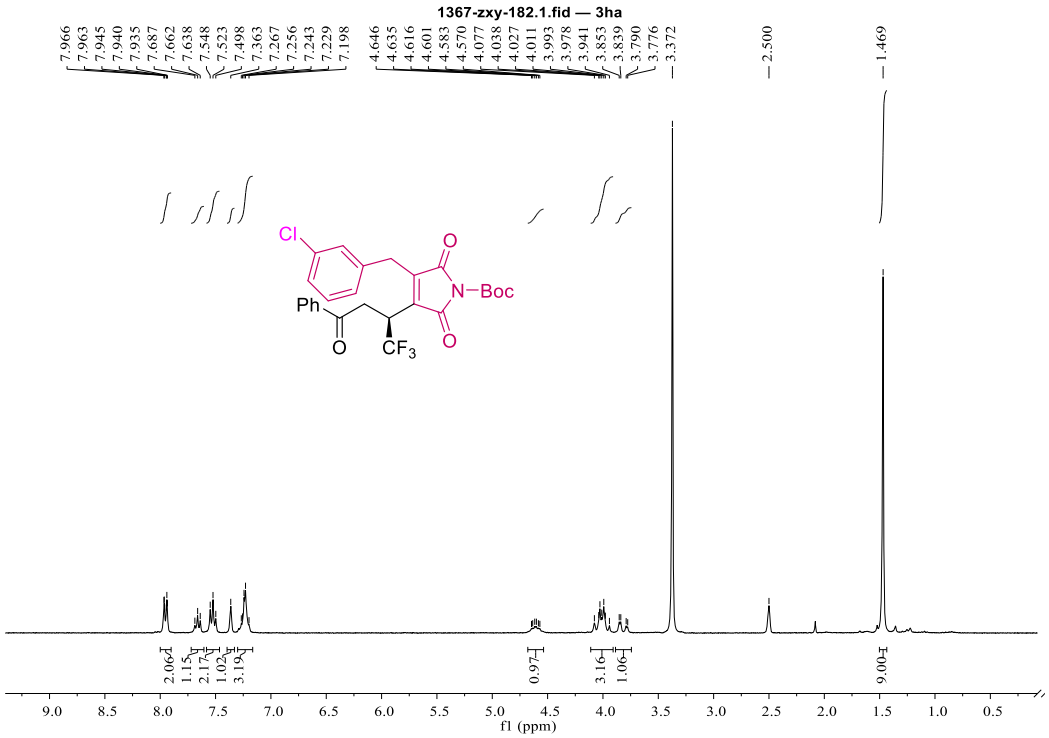


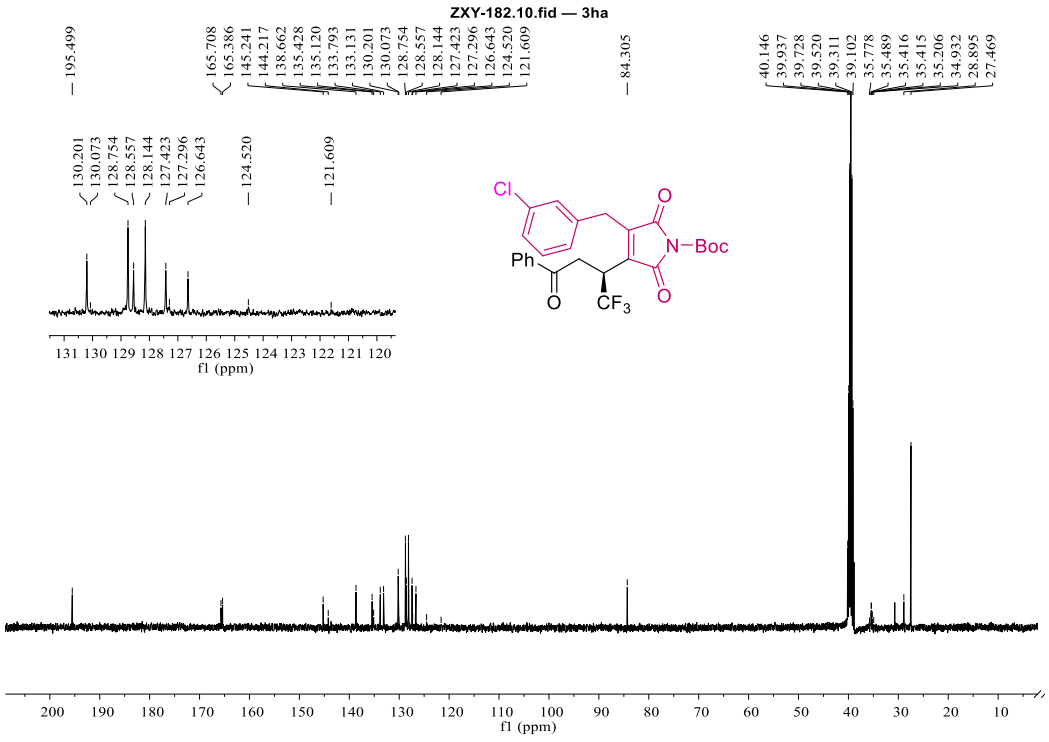
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

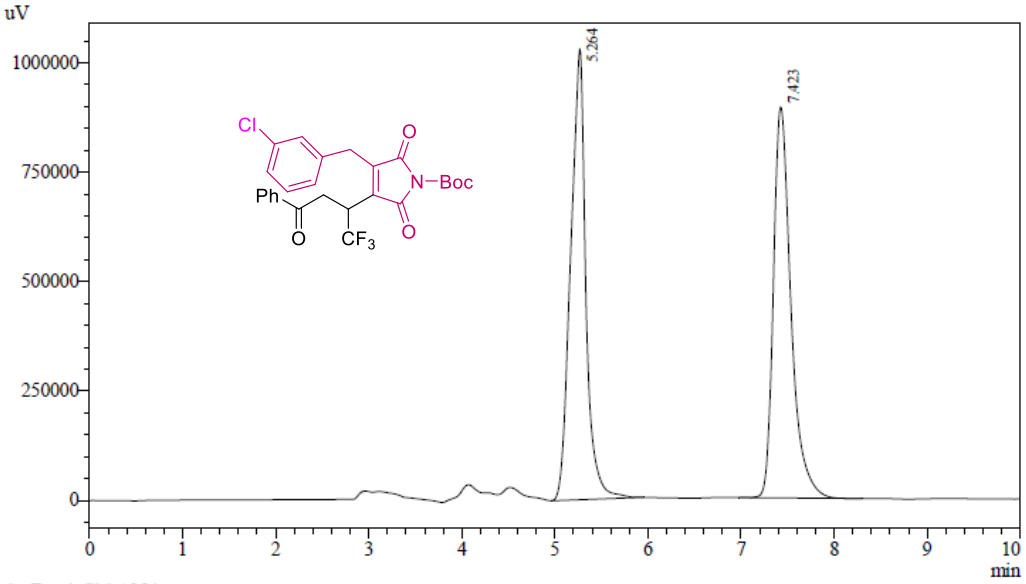
Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.627	15366481	1289606	97.435	96.523
2	5.651	404449	46460	2.565	3.477
Total		15770930	1336067	100.000	100.000

^{1}H and ^{13}C NMR of **3ha**





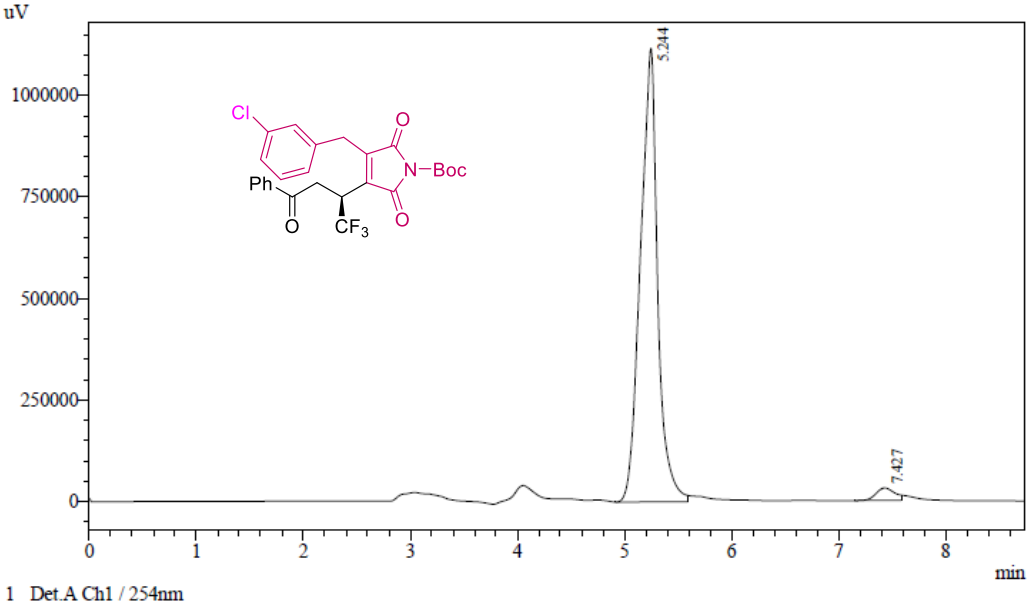
HPLC of **3ha**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.264	11655294	1030322	49.846	53.565
2	7.423	11727237	893163	50.154	46.435
Total		23382532	1923485	100.000	100.000

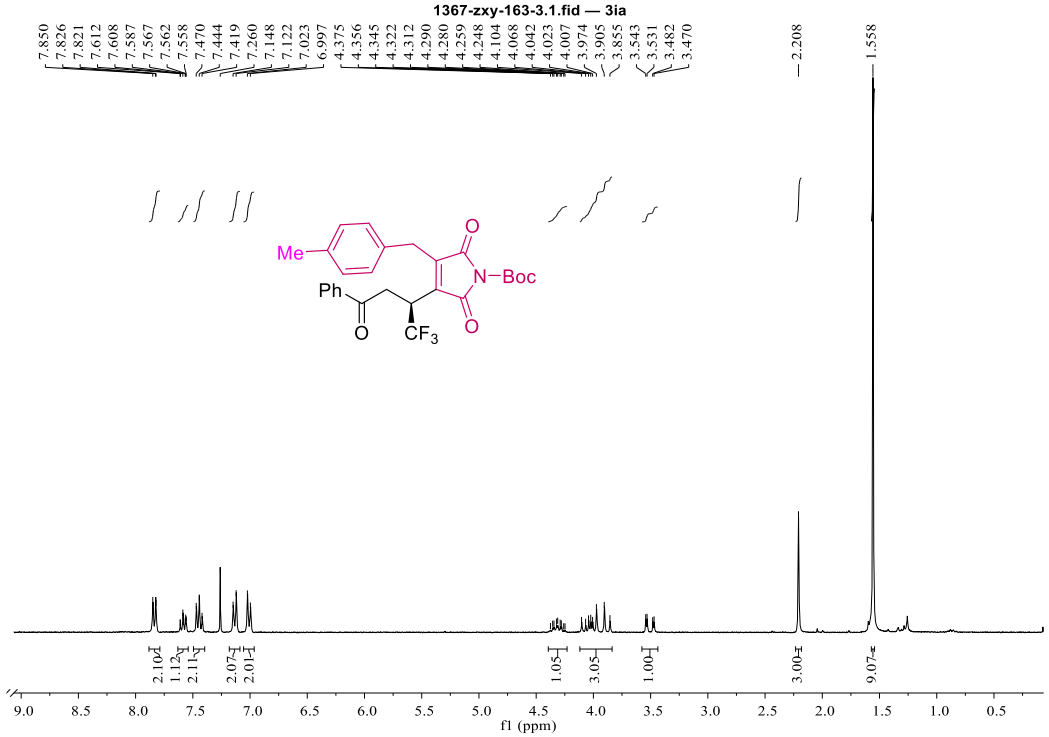


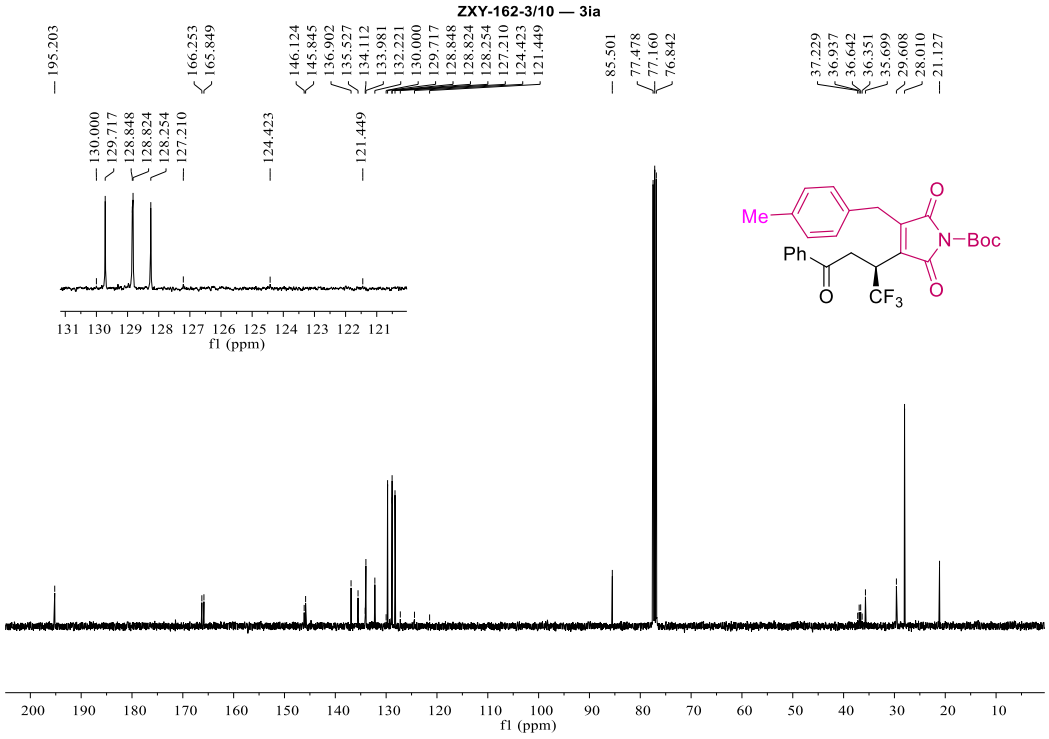
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

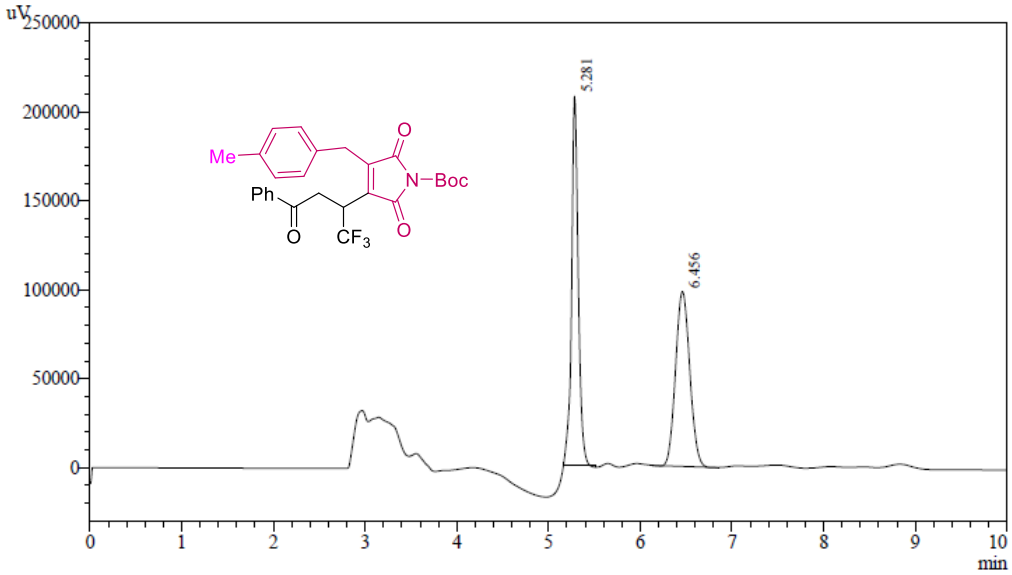
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.244	12488744	1117057	97.110	97.334
2	7.427	371637	30602	2.890	2.666
Total		12860381	1147658	100.000	100.000

^{1}H and ^{13}C NMR of **3ia**





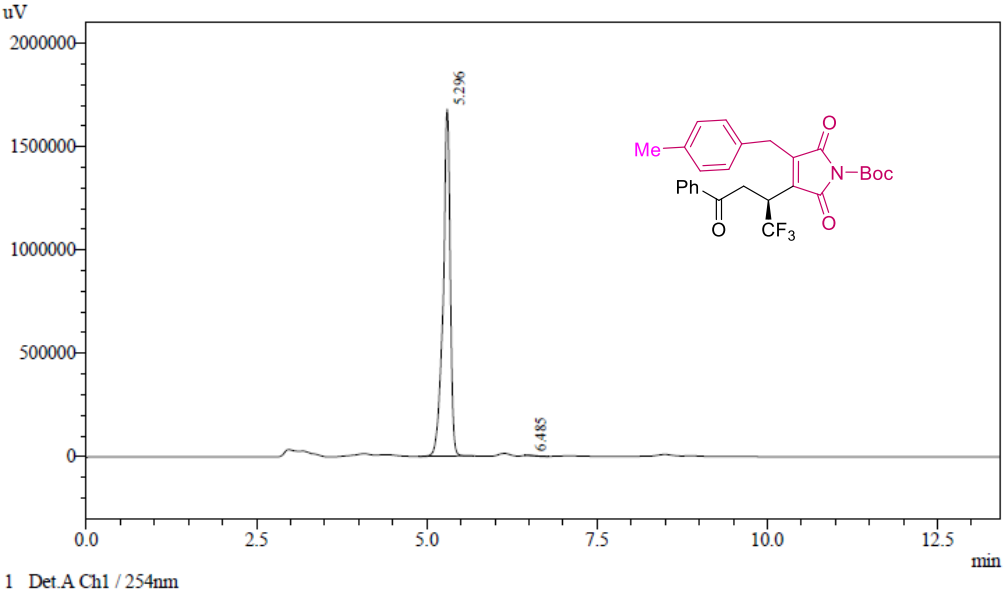
HPLC of **3ia**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.281	1046609	207847	49.772	67.876
2	6.456	1056215	98369	50.228	32.124
Total		2102824	306216	100.000	100.000

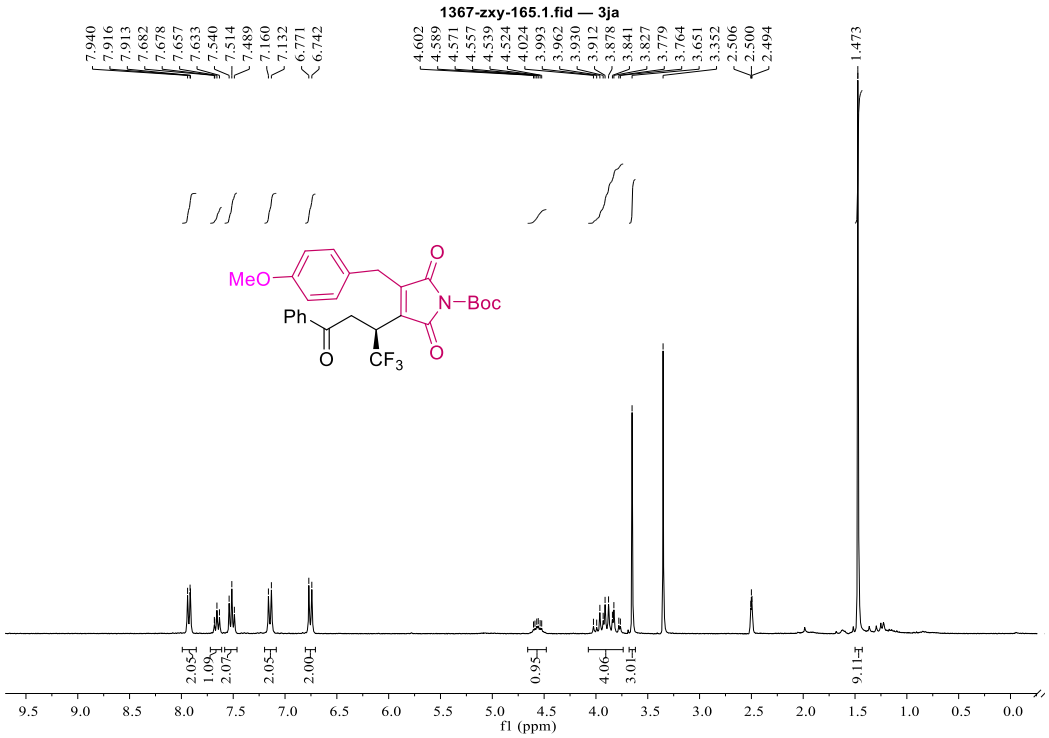


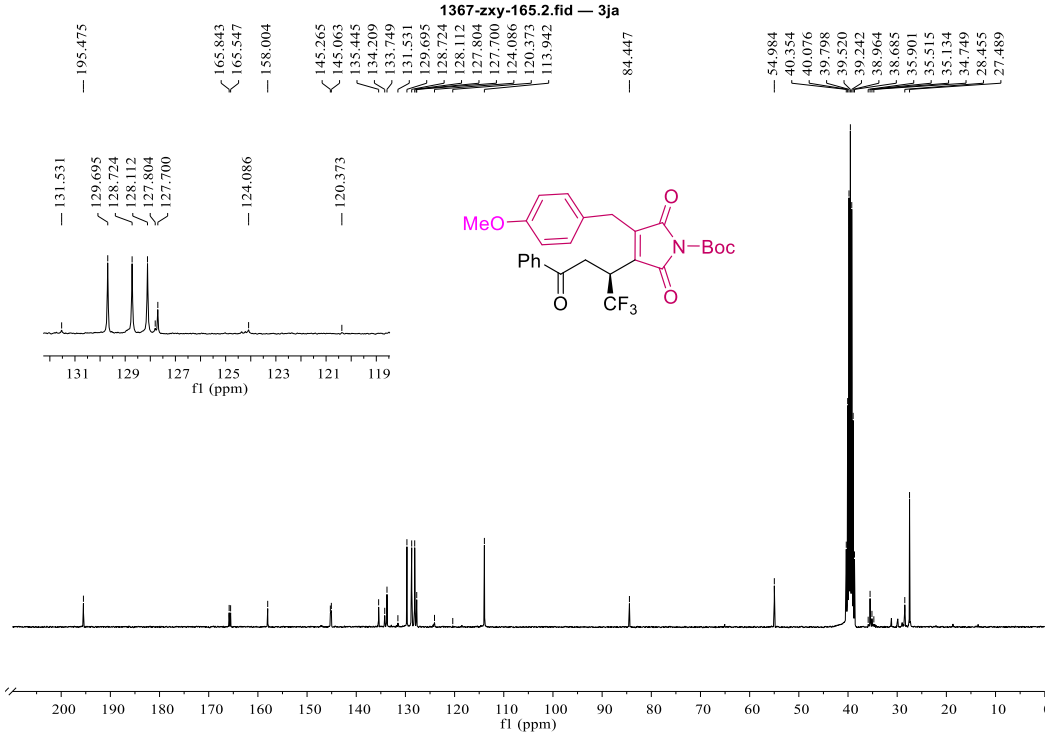
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

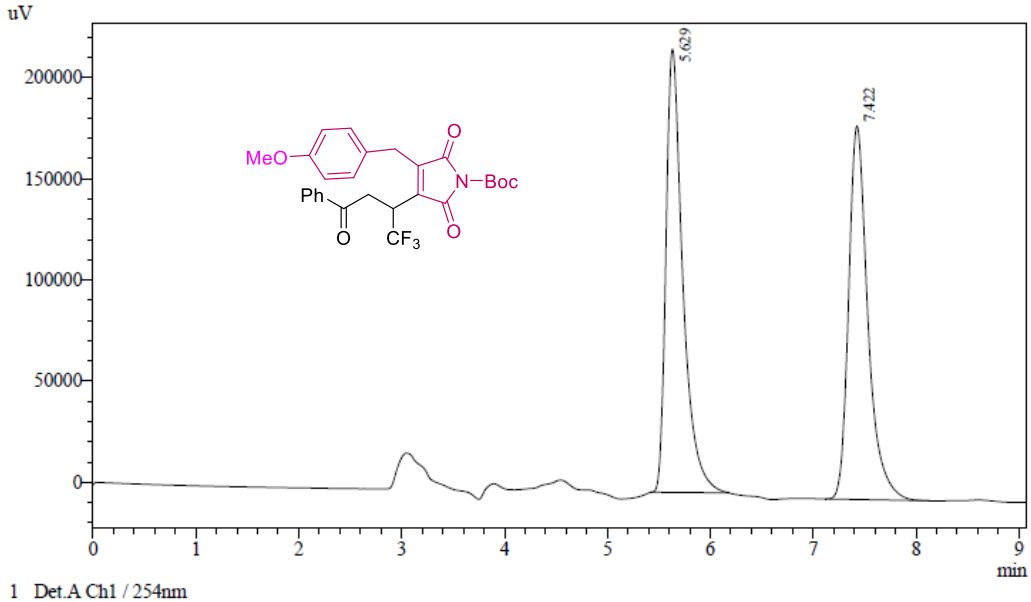
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.296	12254718	1679123	99.982	99.843
2	6.485	2238	2642	0.018	0.157
Total		12256956	1681765	100.000	100.000

^{1}H and ^{13}C NMR of **3ja**





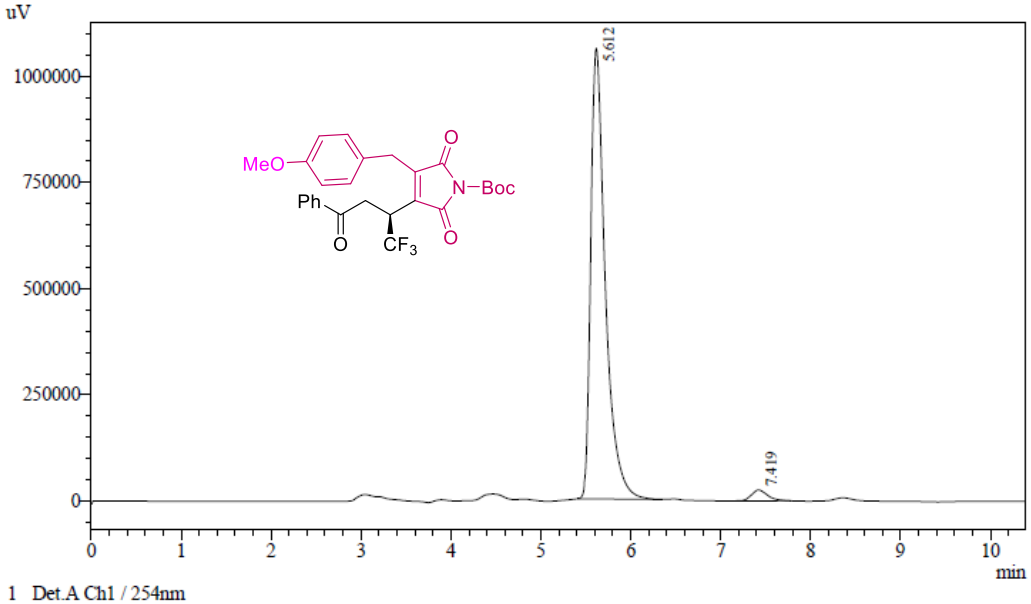
HPLC of **3ja**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.629	2495590	219152	50.916	54.262
2	7.422	2405755	184725	49.084	45.738
Total		4901345	403877	100.000	100.000

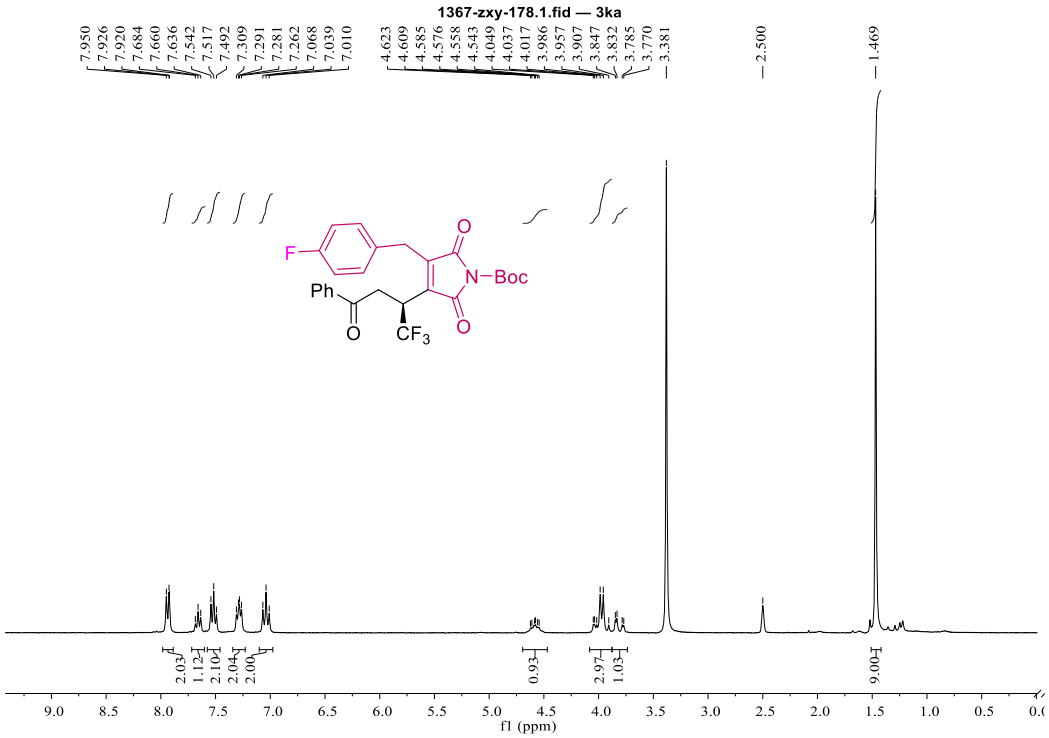


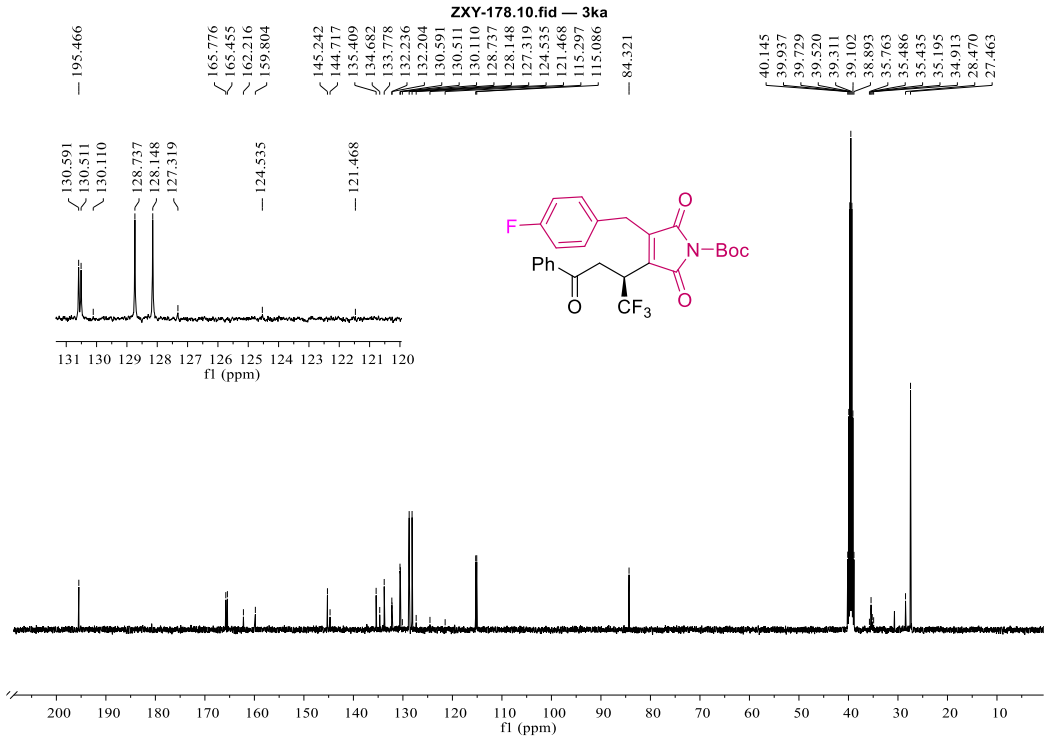
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

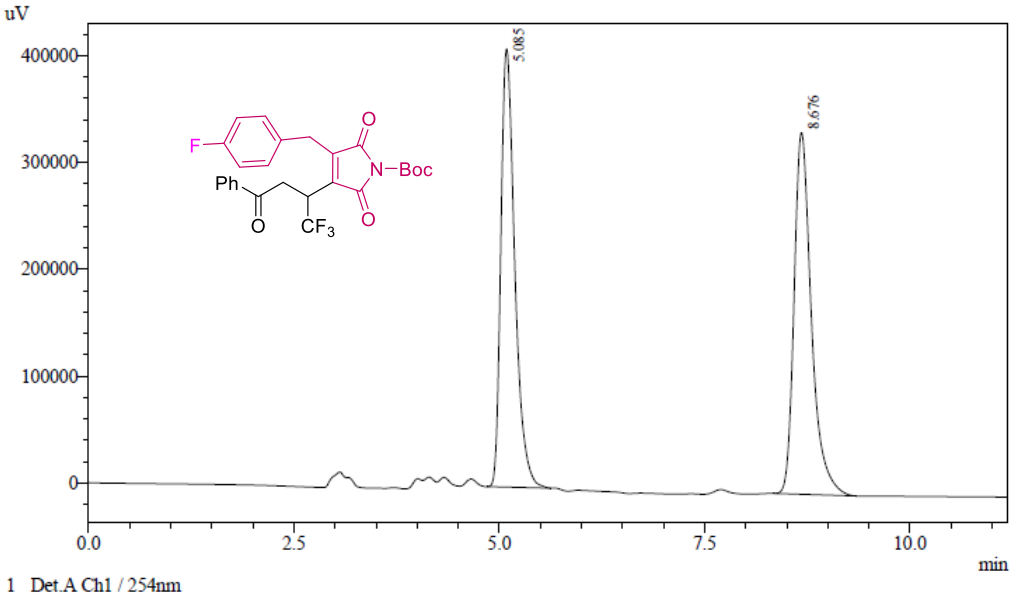
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.612	11966399	1061355	97.424	97.652
2	7.419	316413	25525	2.576	2.348
Total		12282812	1086880	100.000	100.000

^{1}H and ^{13}C NMR of **3ka**





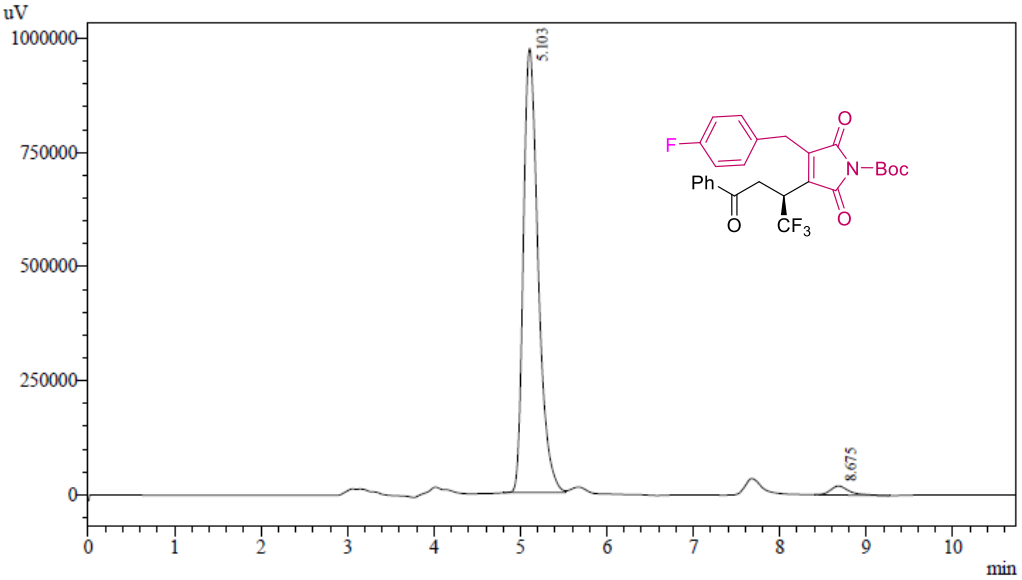
HPLC of **3ka**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.085	4840082	410281	49.350	54.793
2	8.676	4967501	338508	50.650	45.207
Total		9807582	748790	100.000	100.000

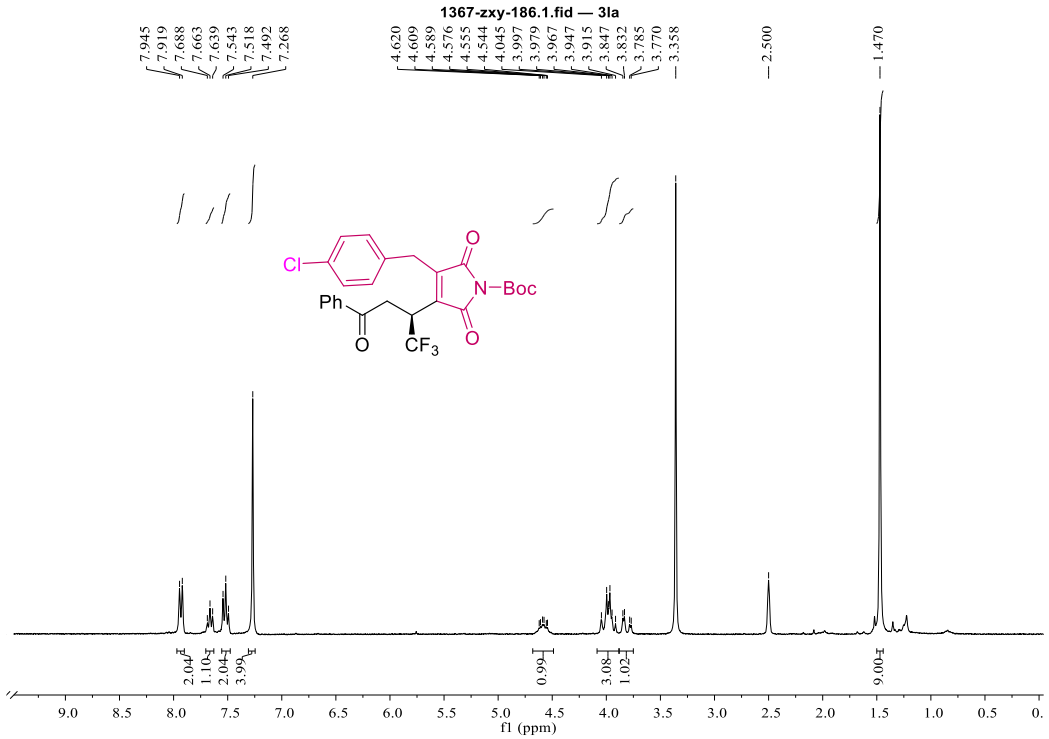


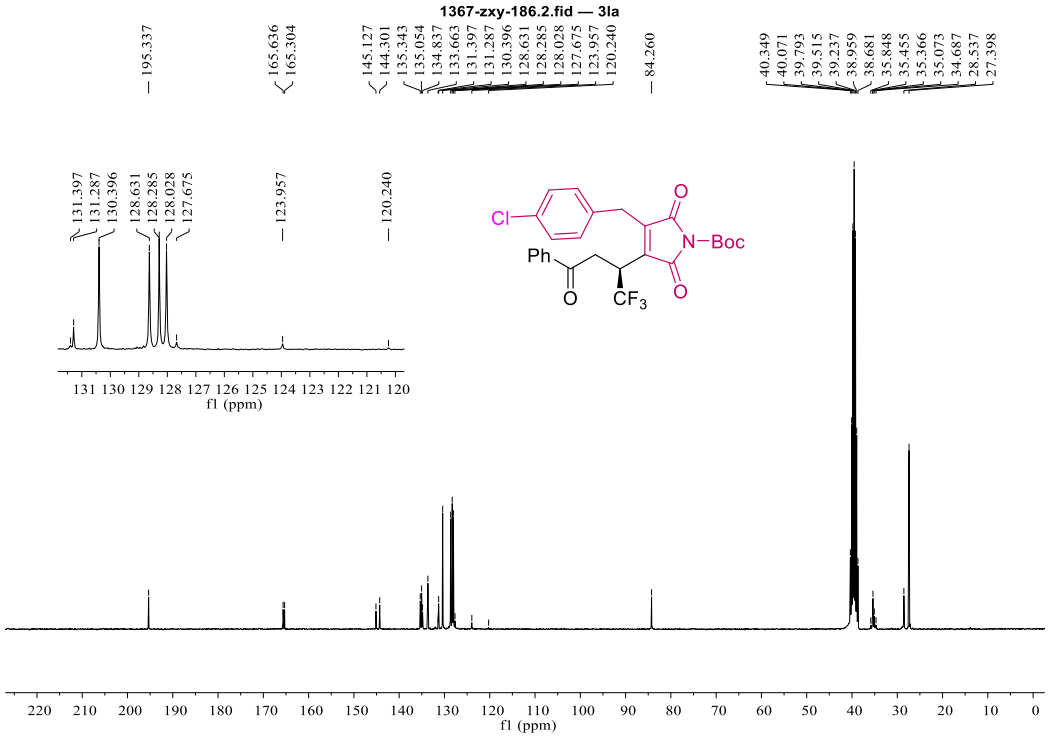
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

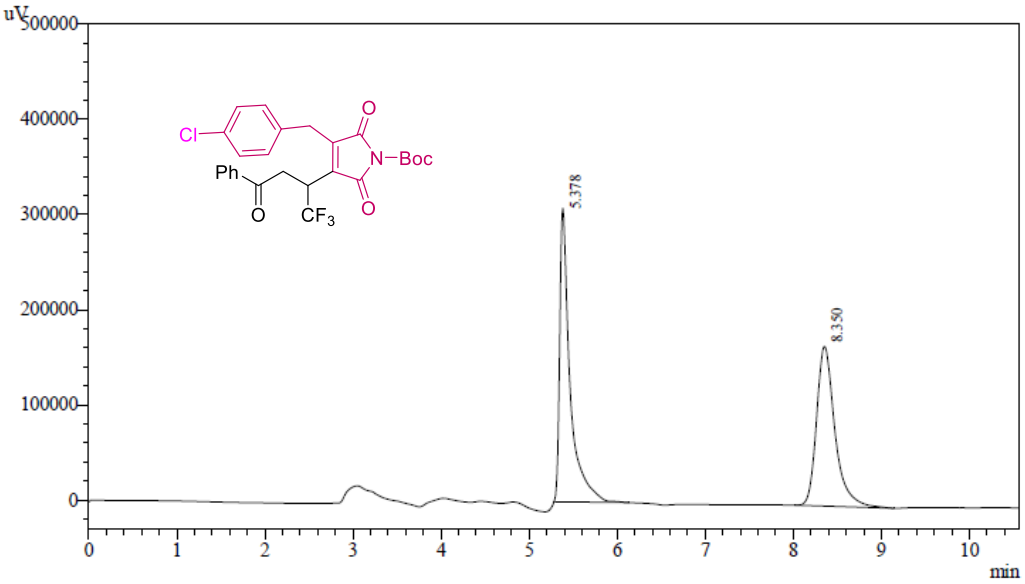
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.103	11571976	973218	97.511	98.031
2	8.675	295391	19549	2.489	1.969
Total		11867367	992766	100.000	100.000

^{1}H and ^{13}C NMR of **3la**





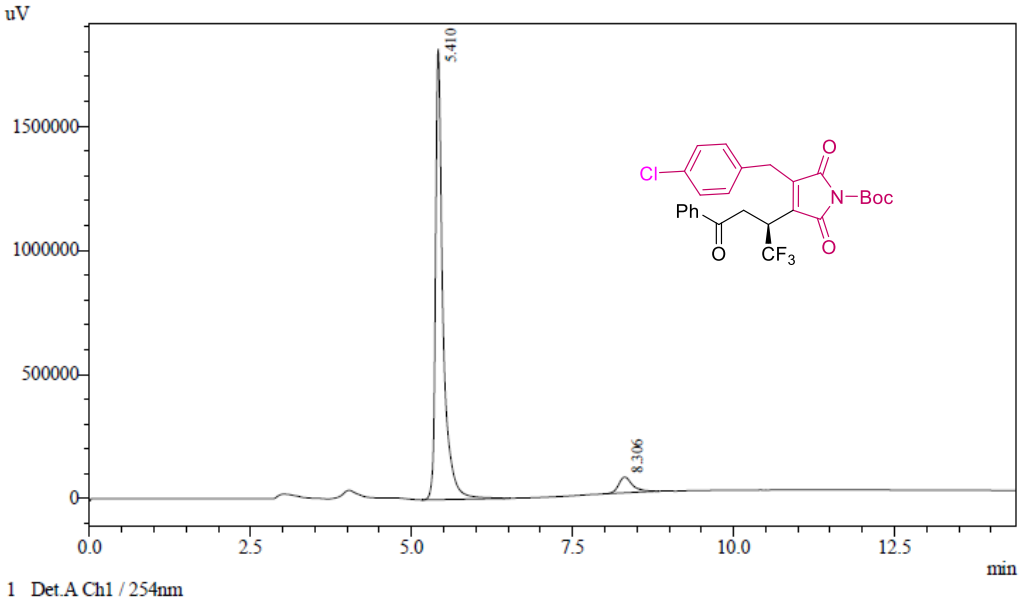
HPLC of **3la**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.378	2518947	308510	50.828	64.786
2	8.350	2436862	167685	49.172	35.214
Total		4955809	476195	100.000	100.000

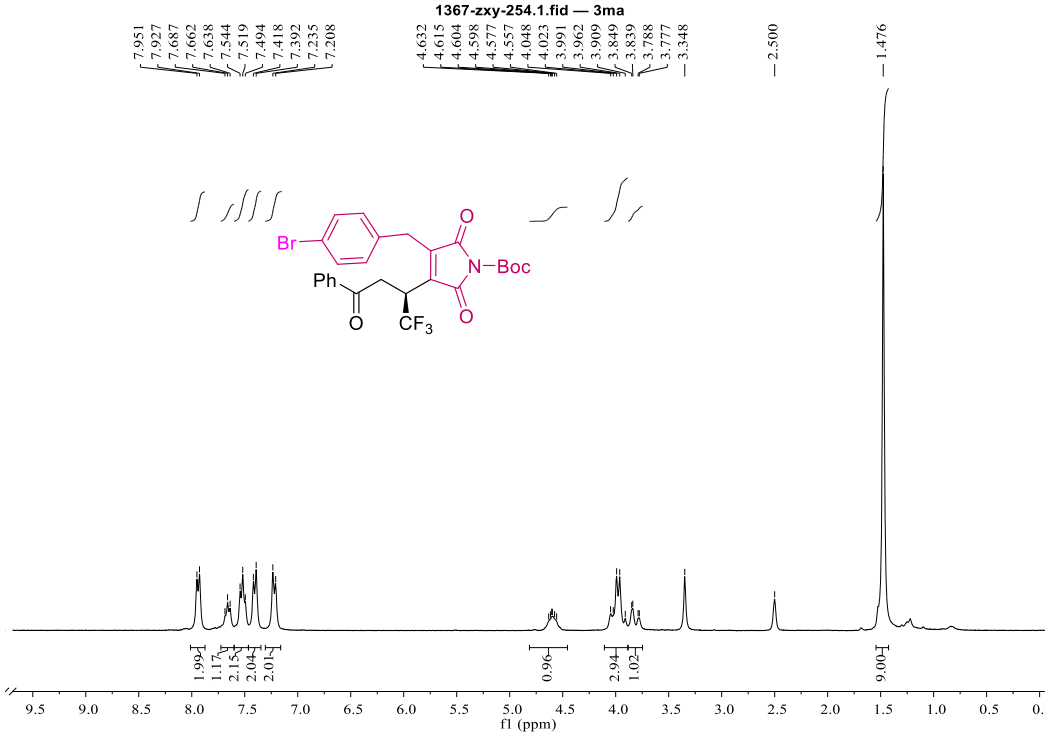


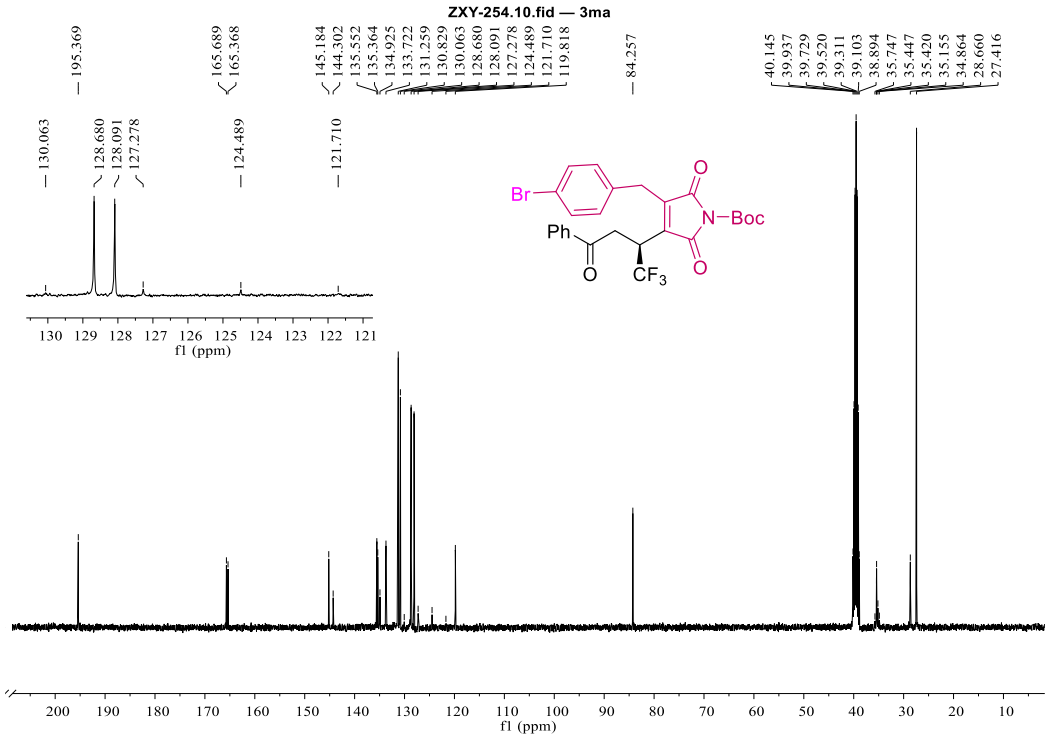
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

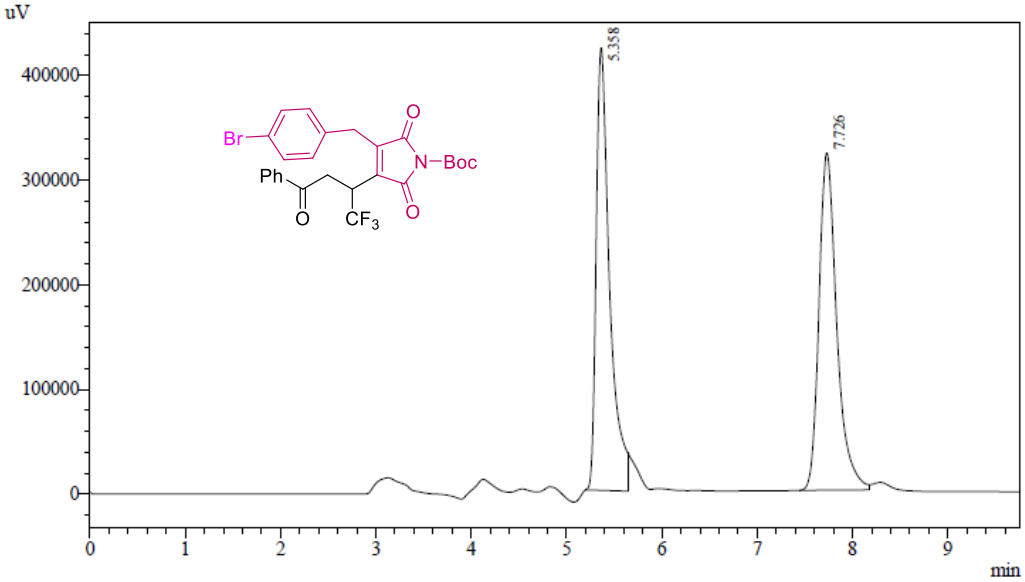
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.410	14743846	1814067	93.889	96.616
2	8.306	959716	63531	6.111	3.384
Total		15703563	1877598	100.000	100.000

^{1}H and ^{13}C NMR of **3ma**





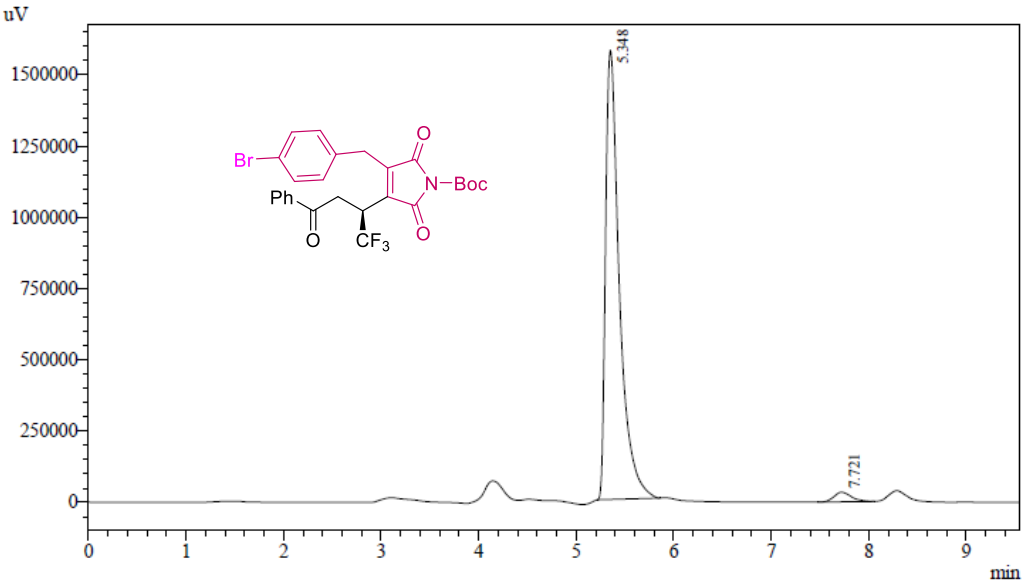
HPLC of **3ma**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.358	4136211	422809	49.671	56.726
2	7.726	4191018	322548	50.329	43.274
Total		8327229	745357	100.000	100.000

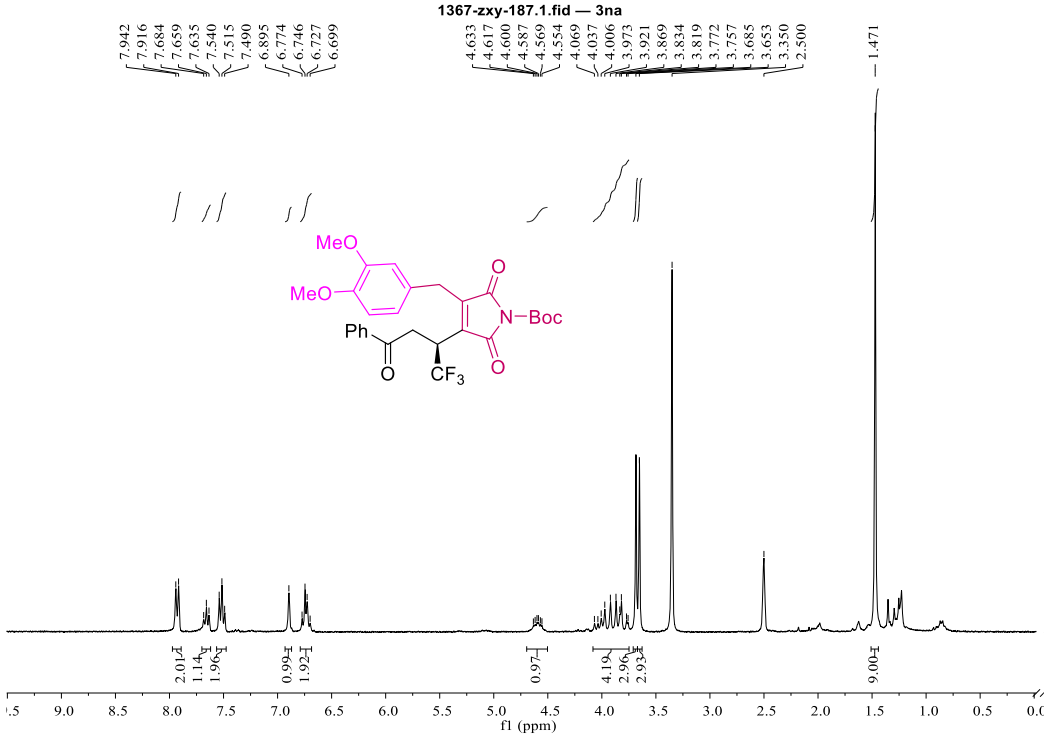


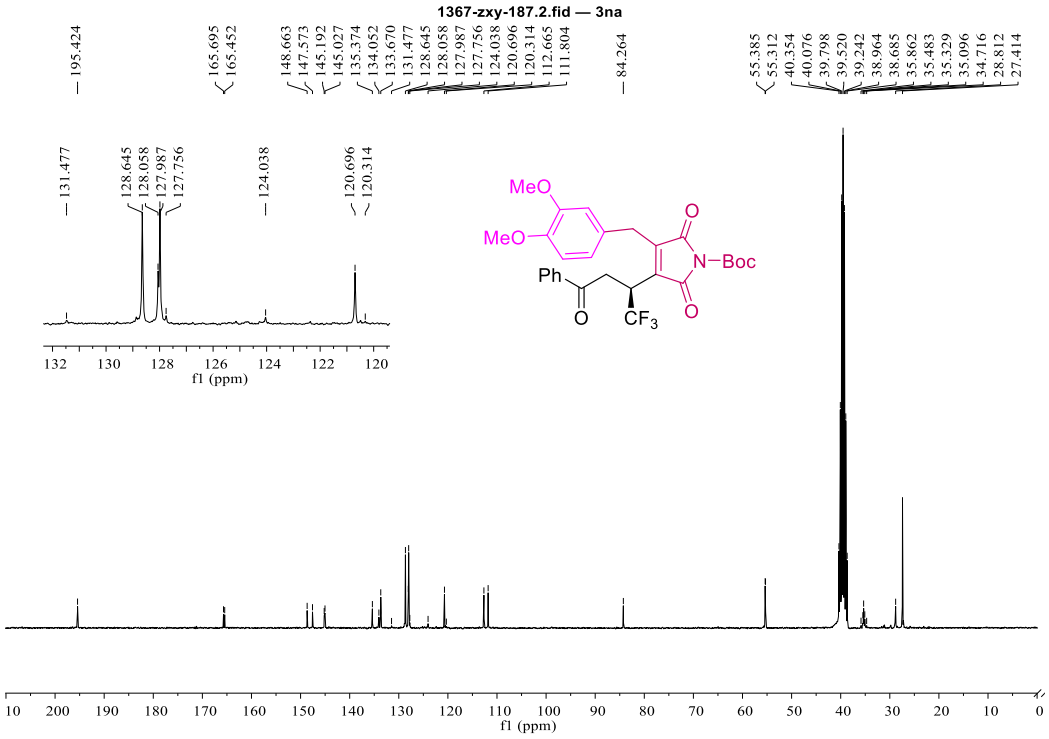
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

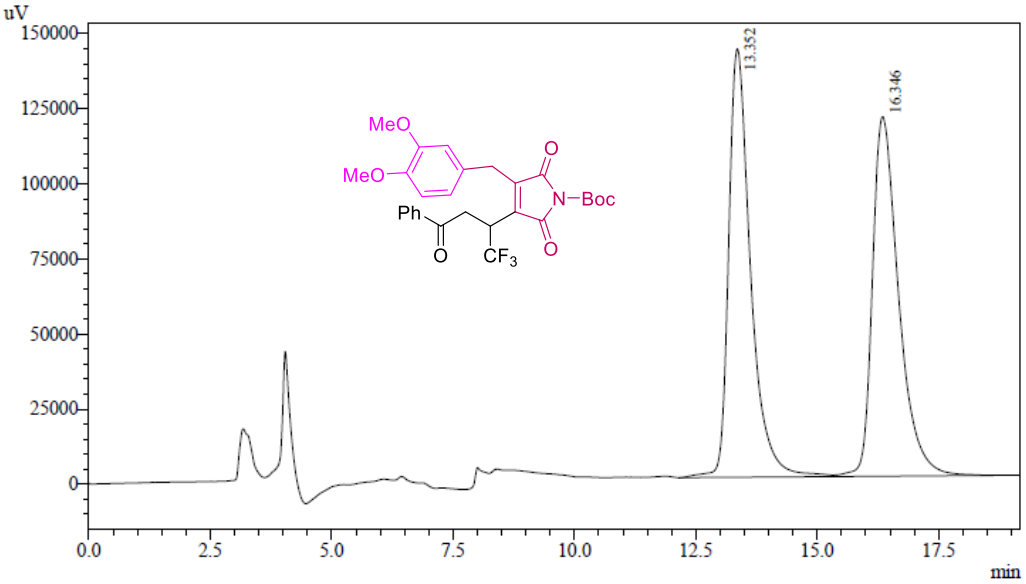
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.348	15572818	1576434	97.383	97.902
2	7.721	418511	33785	2.617	2.098
Total		15991329	1610219	100.000	100.000

^{1}H and ^{13}C NMR of **3na**





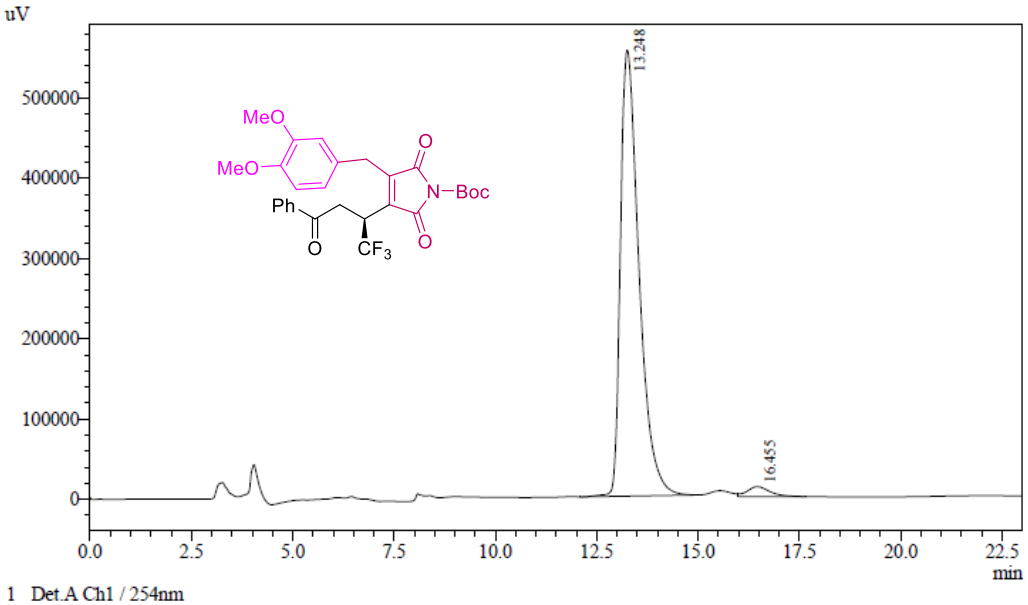
HPLC of **3na**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.352	4499404	142642	49.964	54.368
2	16.346	4505955	119720	50.036	45.632
Total		9005359	262362	100.000	100.000

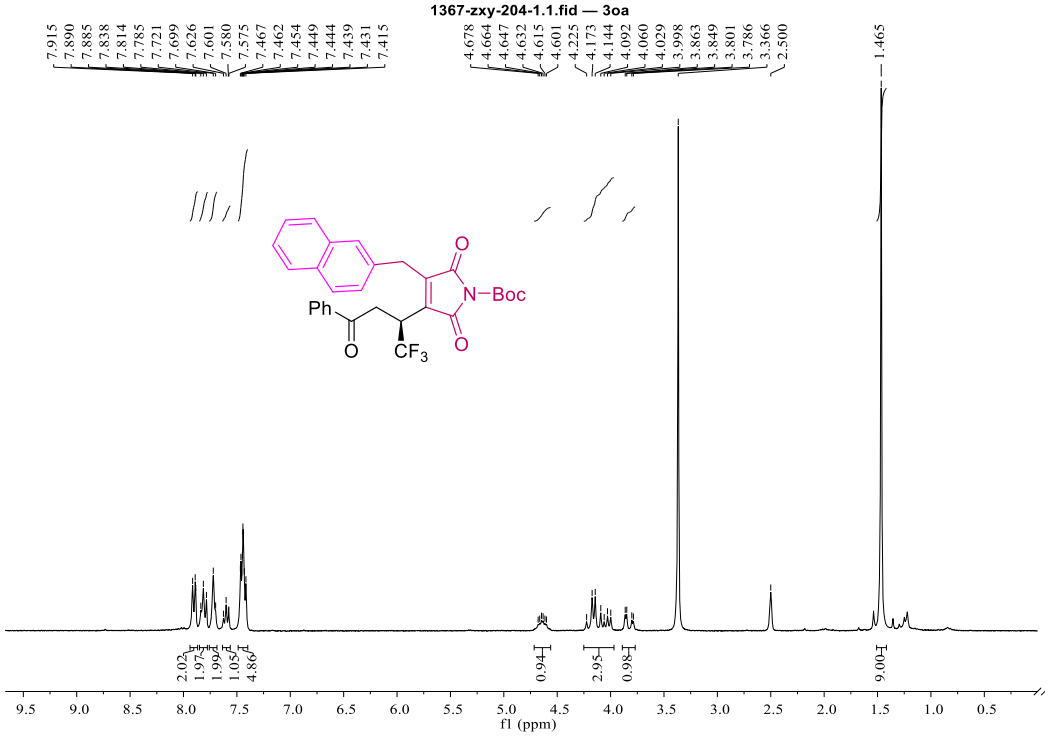


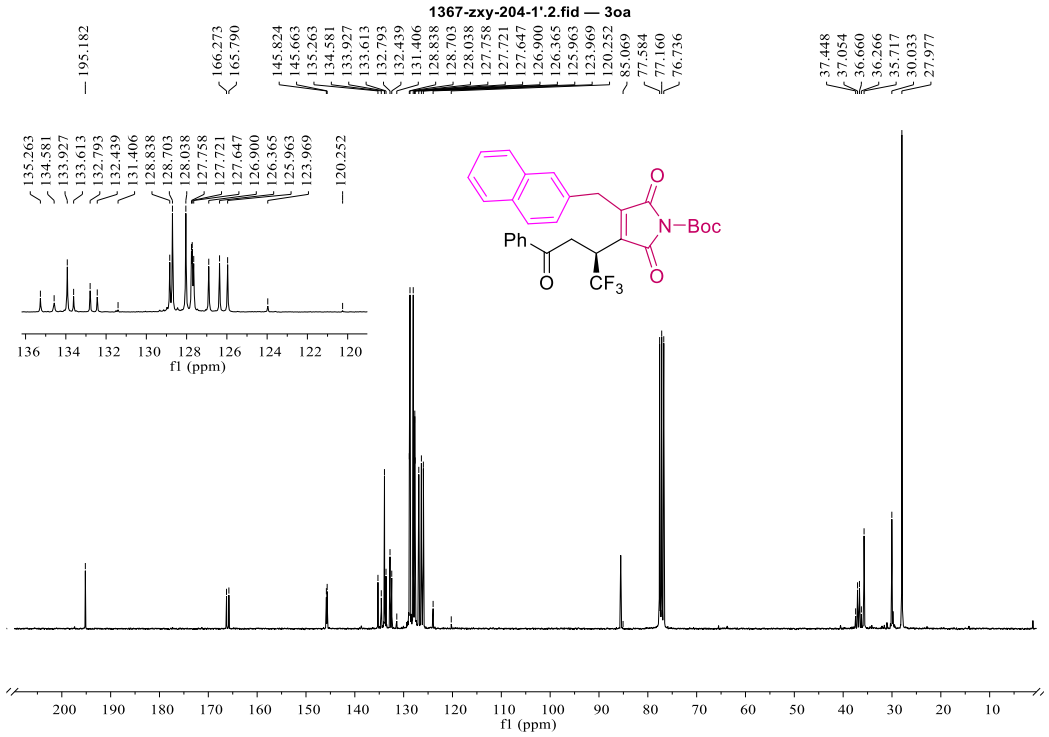
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

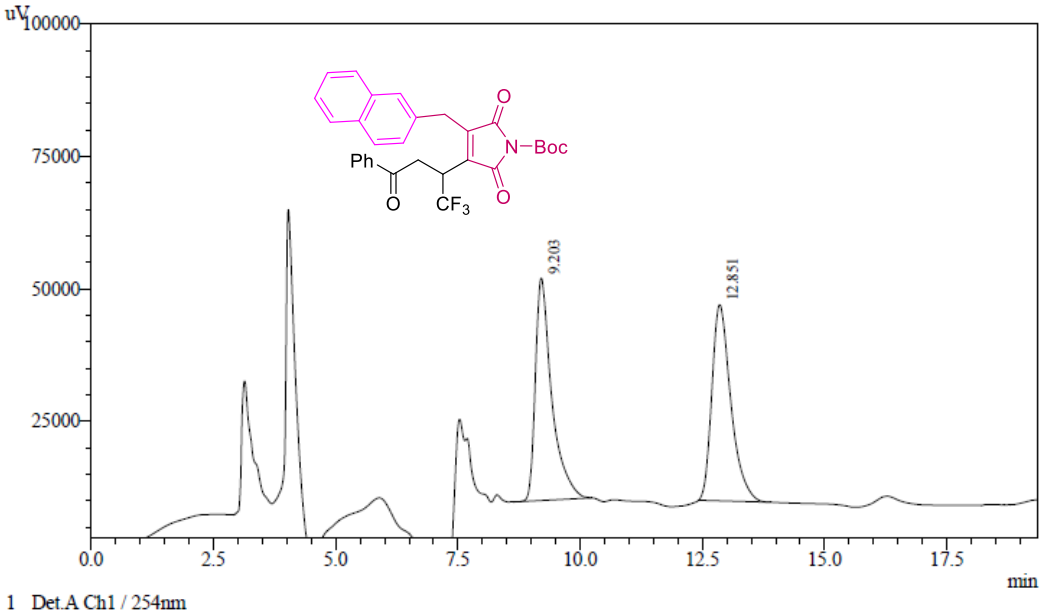
Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.248	17717517	555986	97.283	97.791
2	16.455	494779	12558	2.717	2.209
Total		18212297	568544	100.000	100.000

^{1}H and ^{13}C NMR of **3oa**





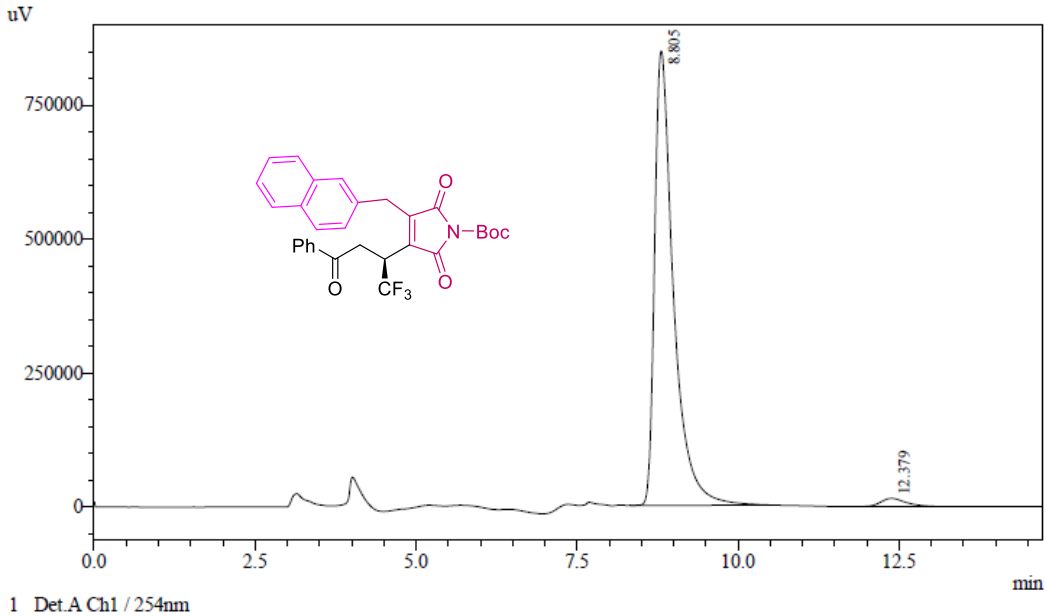
HPLC of **3oa**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.203	981480	42003	49.456	53.137
2	12.851	1003064	37043	50.544	46.863
Total		1984544	79047	100.000	100.000

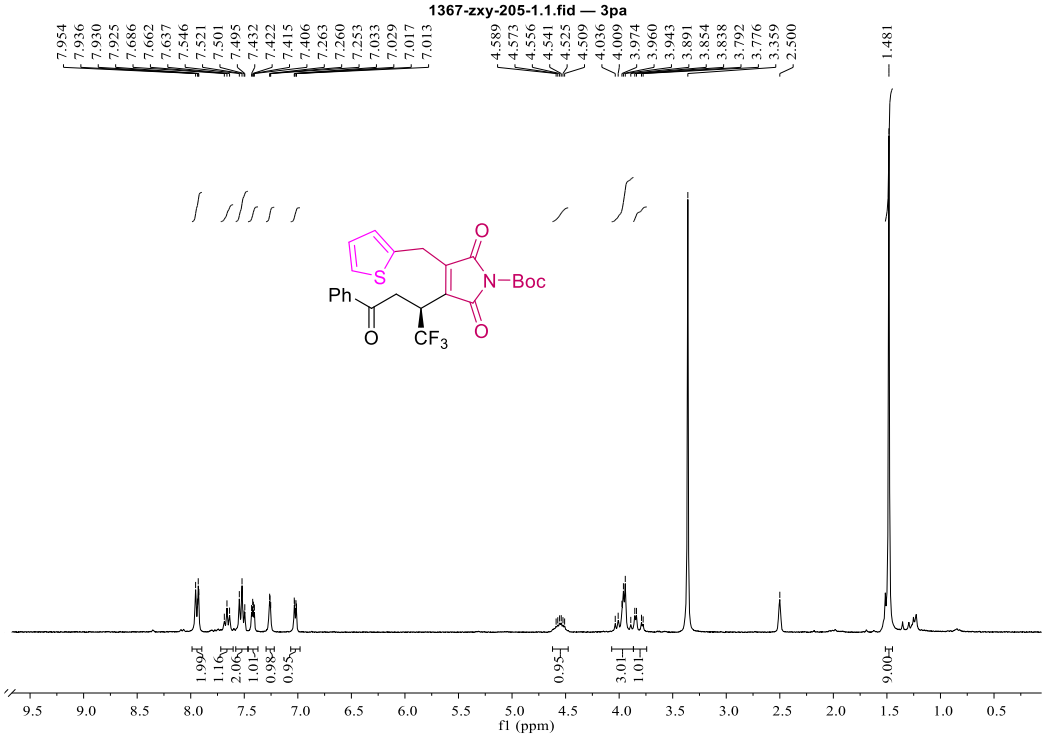


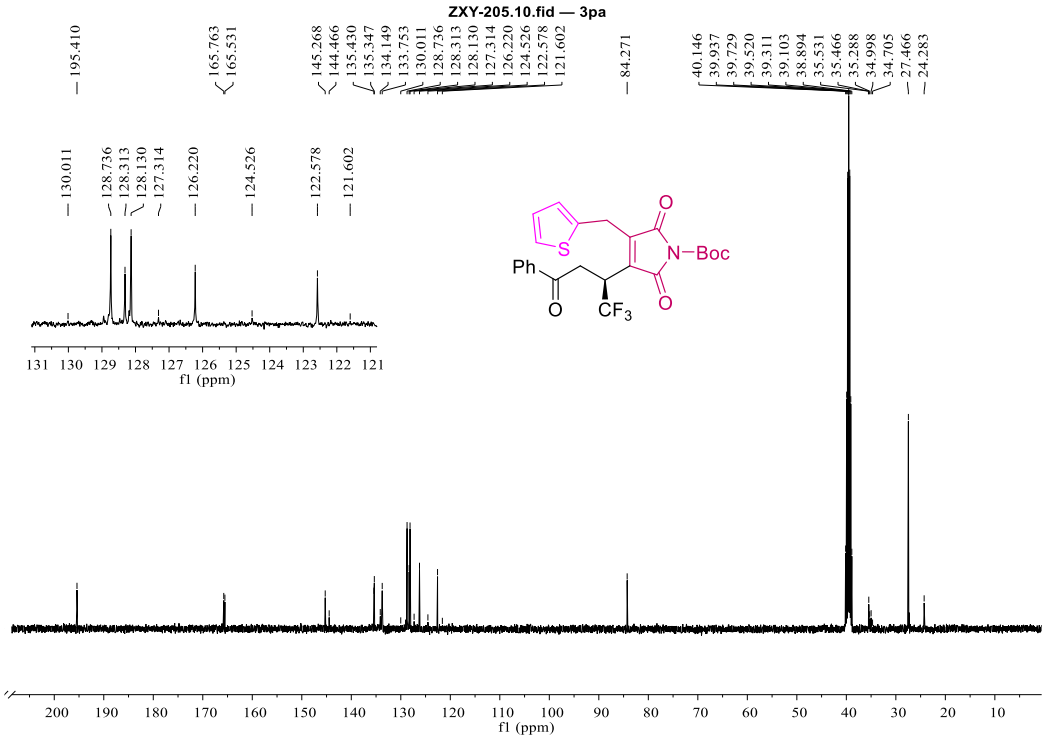
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

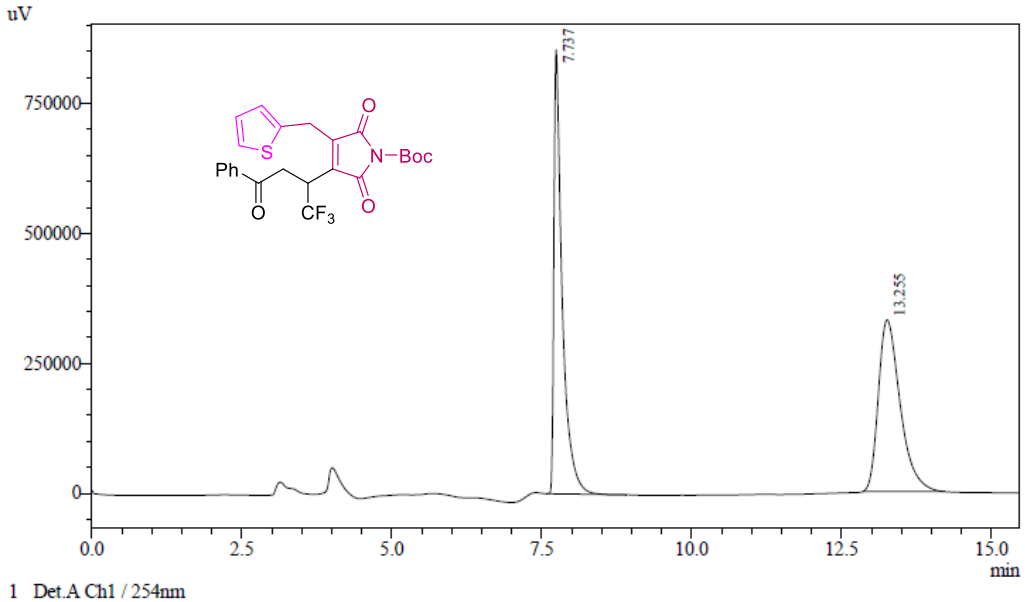
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.805	17357062	847970	97.642	98.221
2	12.379	419158	15359	2.358	1.779
Total		17776220	863329	100.000	100.000

^{1}H and ^{13}C NMR of **3pa**





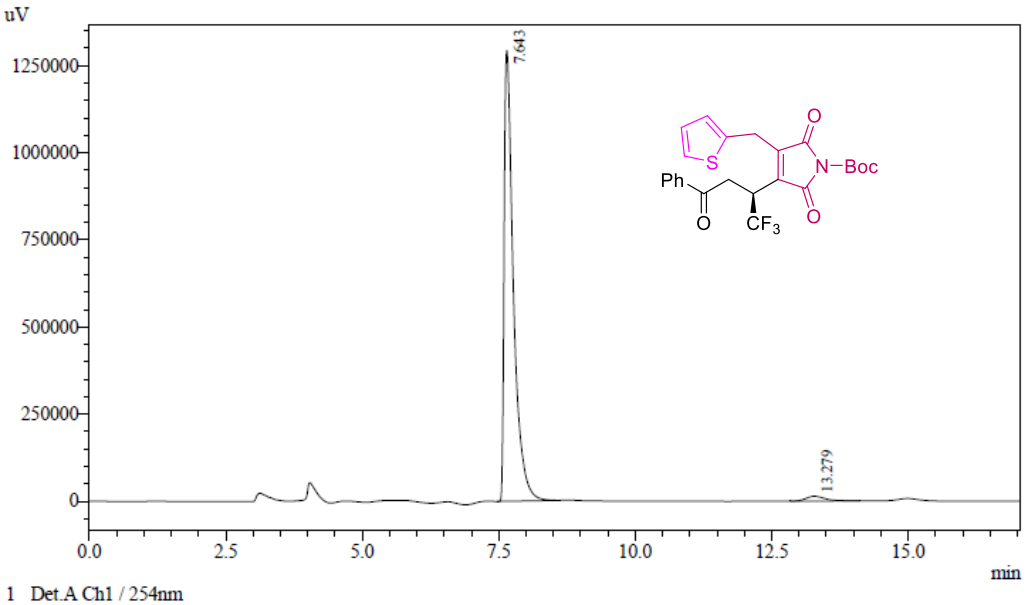
HPLC of **3pa**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.737	8200758	854015	49.561	72.133
2	13.255	8346094	329934	50.439	27.867
Total		16546852	1183949	100.000	100.000

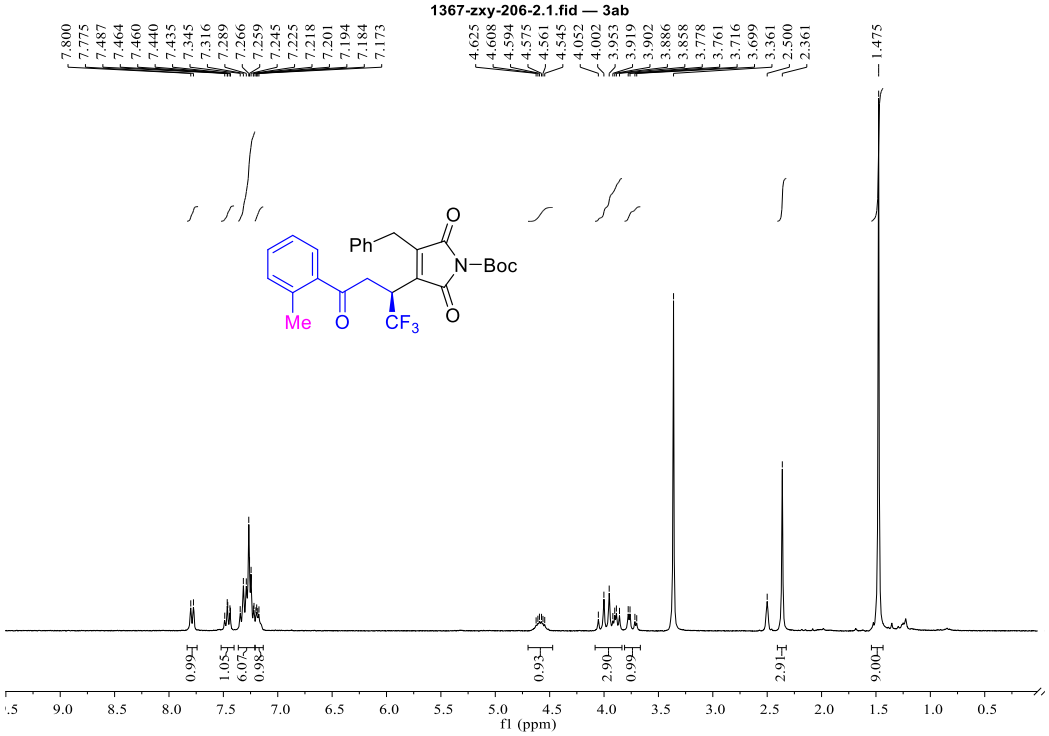


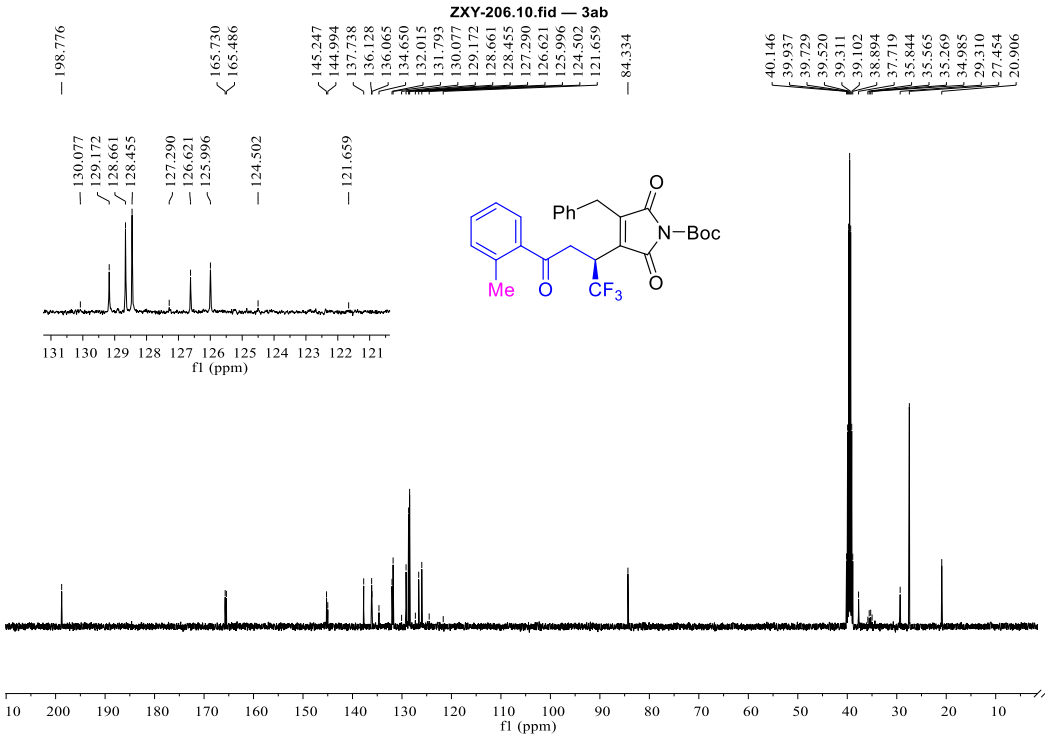
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

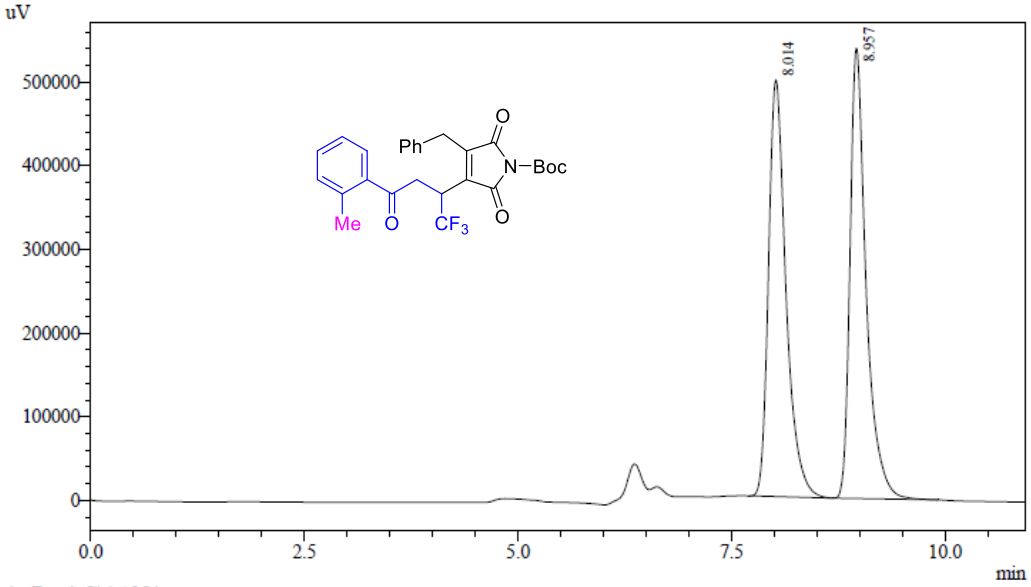
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.643	15248586	1292853	97.837	98.940
2	13.279	337121	13855	2.163	1.060
Total		15585706	1306709	100.000	100.000

^{1}H and ^{13}C NMR of **3ab**





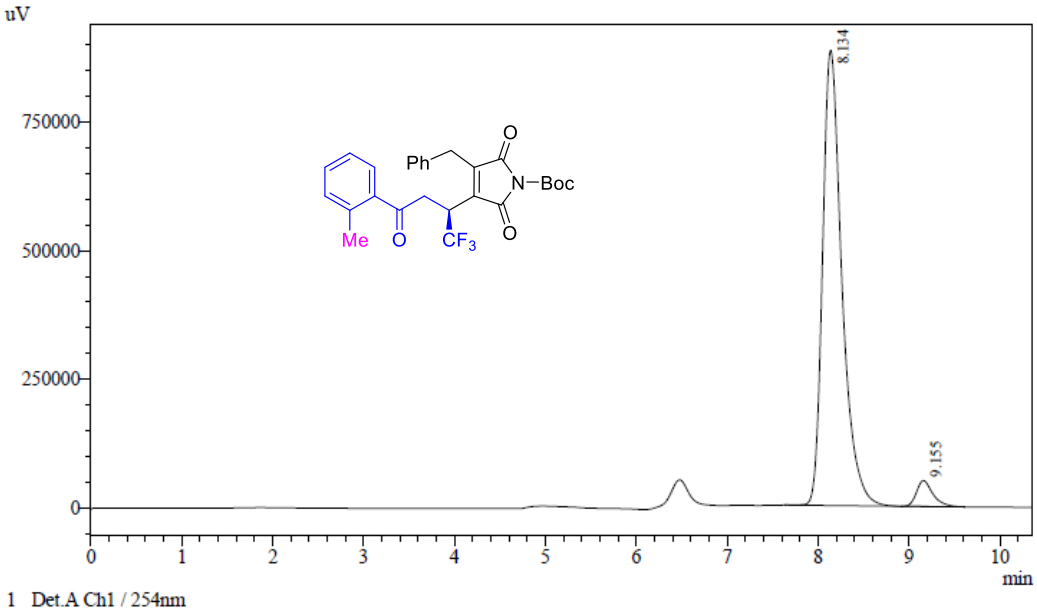
HPLC of **3ab**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.014	7088493	498369	50.061	48.074
2	8.957	7071206	538294	49.939	51.926
Total		14159699	1036663	100.000	100.000

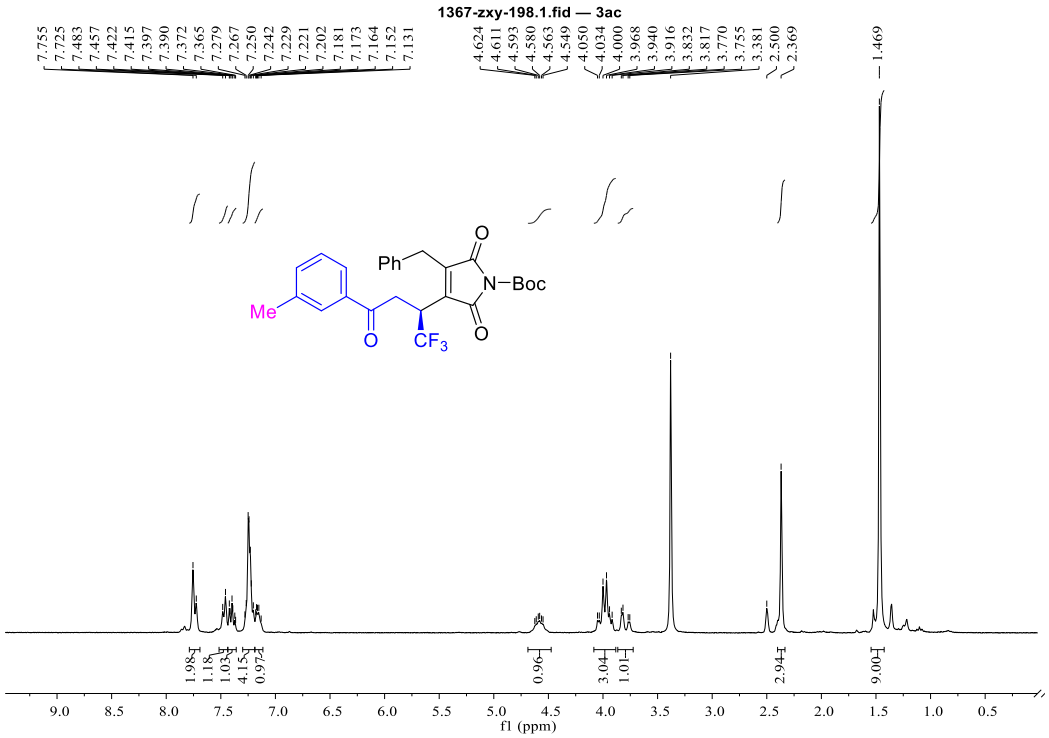


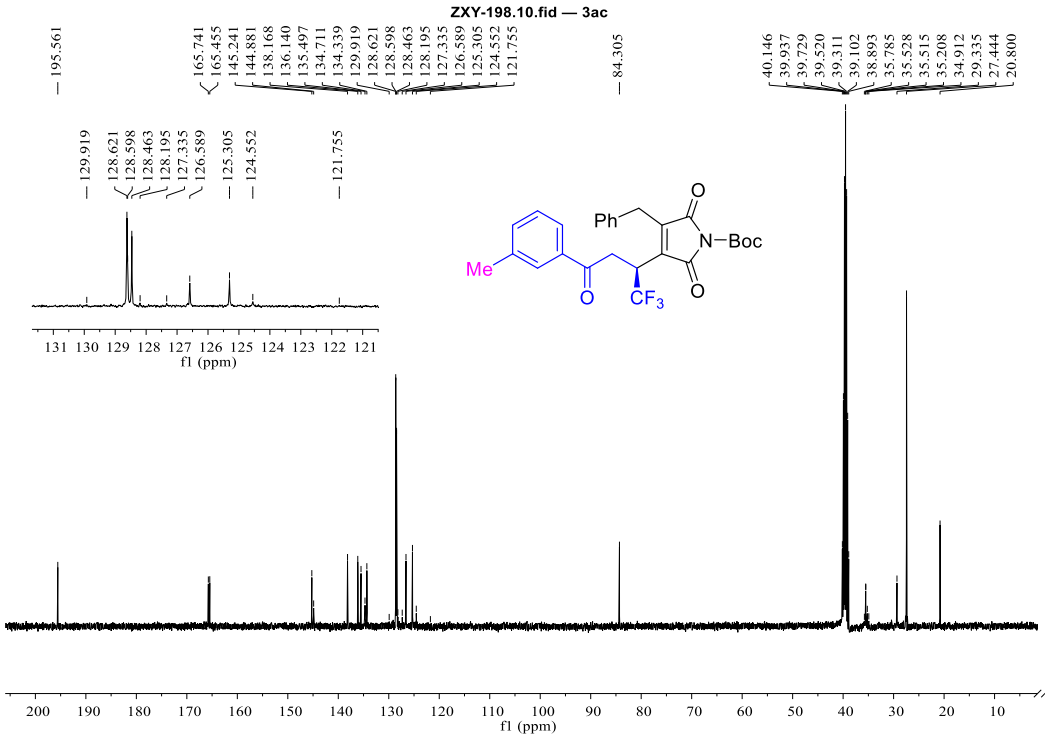
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

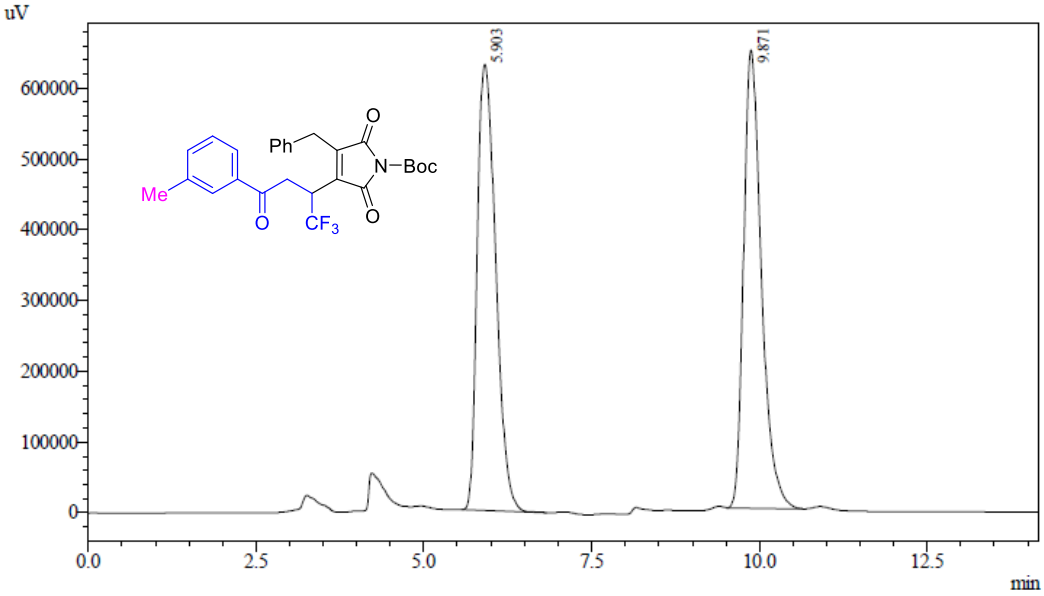
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.134	13058995	883991	95.363	94.591
2	9.155	634961	50547	4.637	5.409
Total		13693956	934538	100.000	100.000

^{1}H and ^{13}C NMR of **3ac**





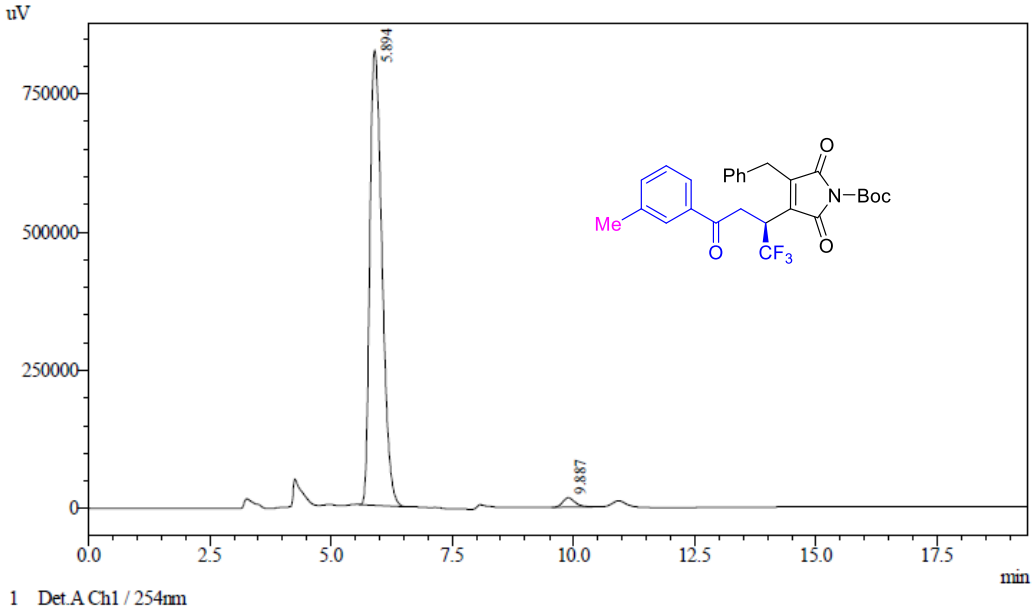
HPLC of **3ac**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.903	12079778	630001	50.296	49.341
2	9.871	11937400	646838	49.704	50.659
Total		24017178	1276839	100.000	100.000

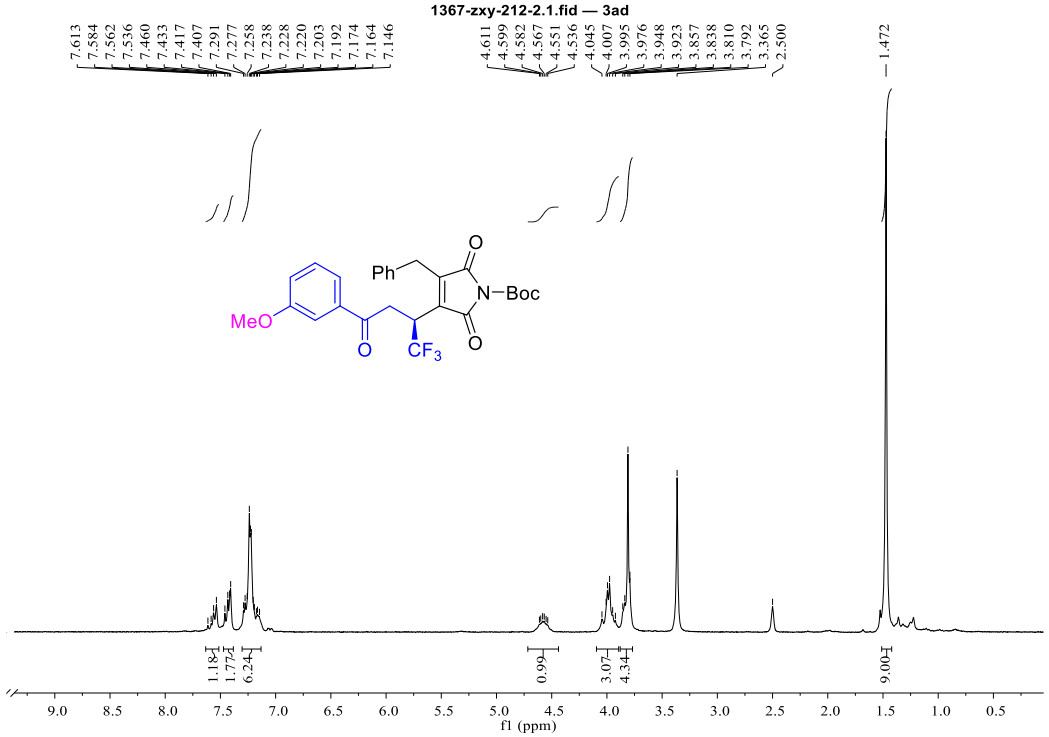


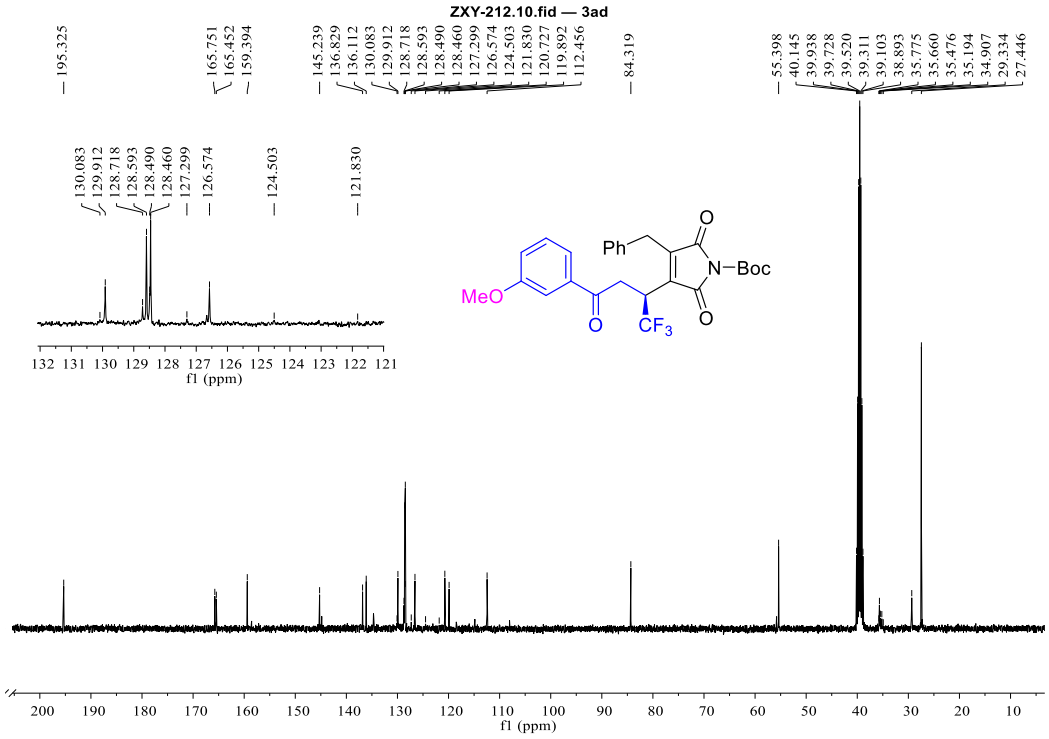
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

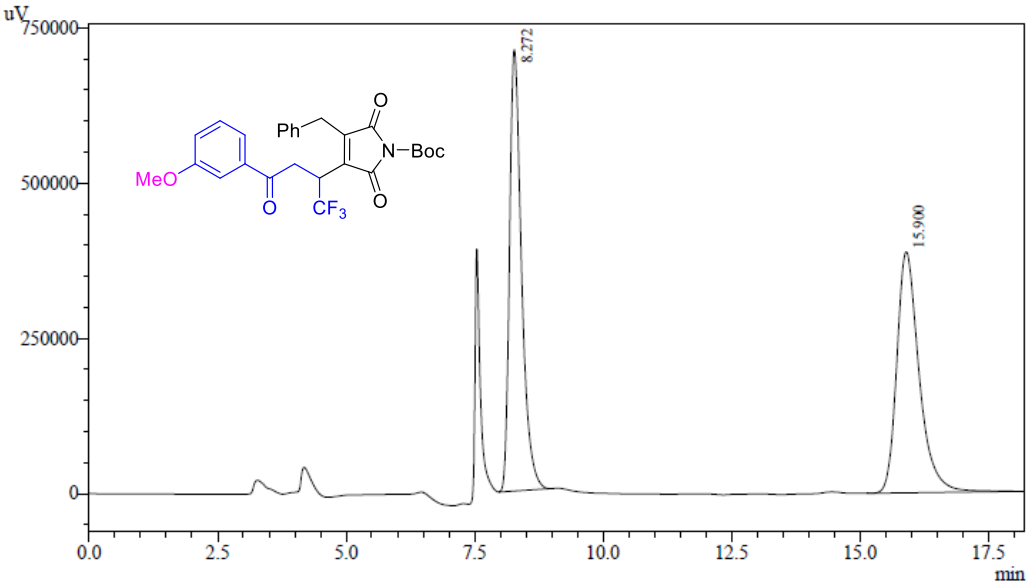
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.894	14323170	823457	97.904	97.974
2	9.887	306710	17032	2.096	2.026
Total		14629881	840490	100.000	100.000

^{1}H and ^{13}C NMR of **3ad**





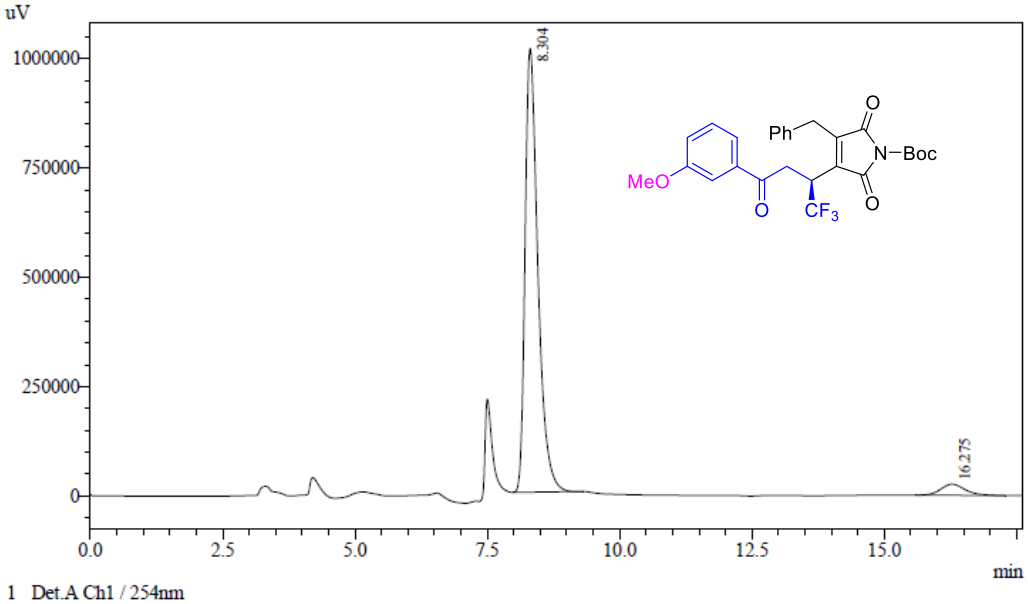
HPLC of **3ad**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.272	11550480	710951	49.513	64.683
2	15.900	11777823	388188	50.487	35.317
Total		23328303	1099139	100.000	100.000

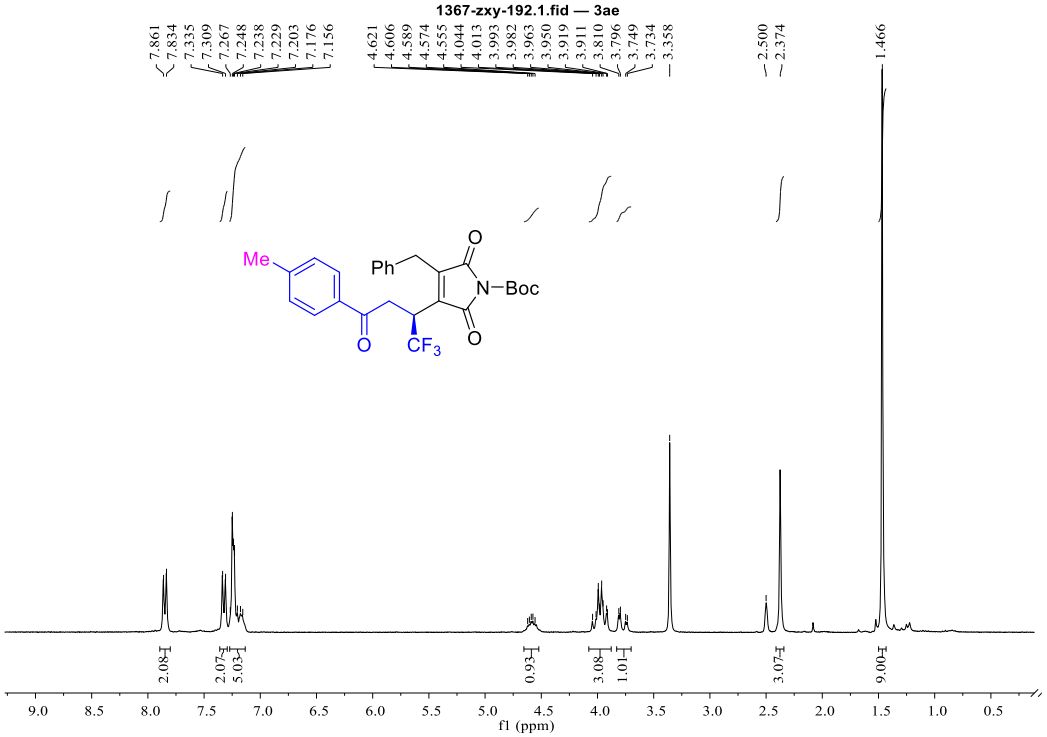


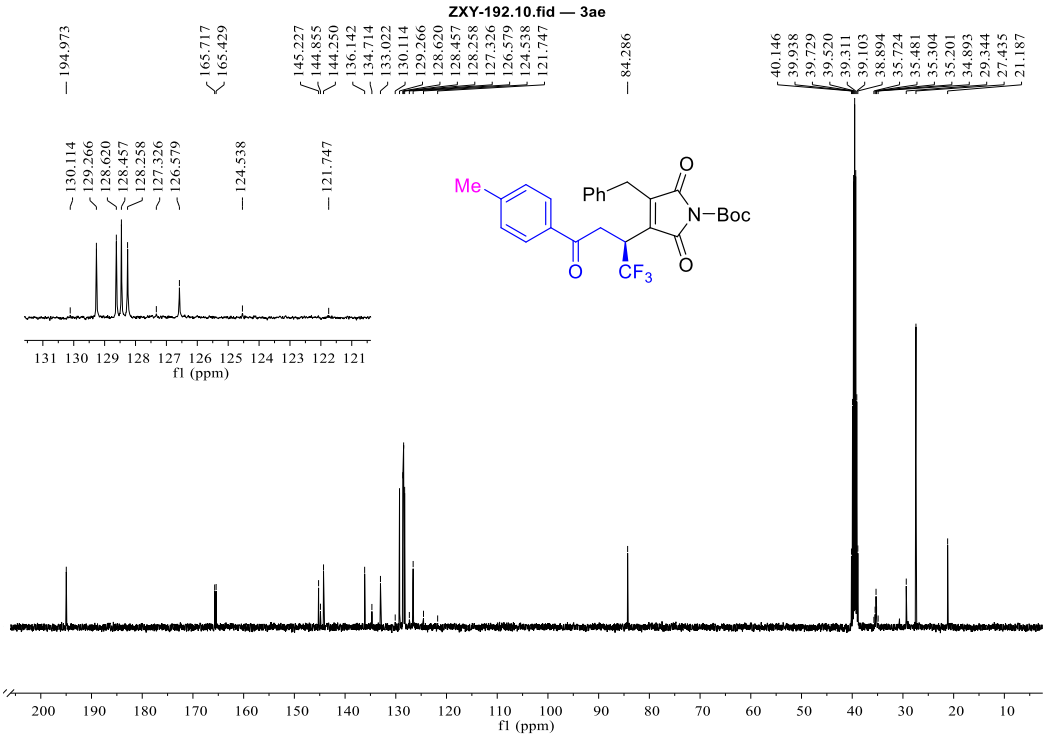
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

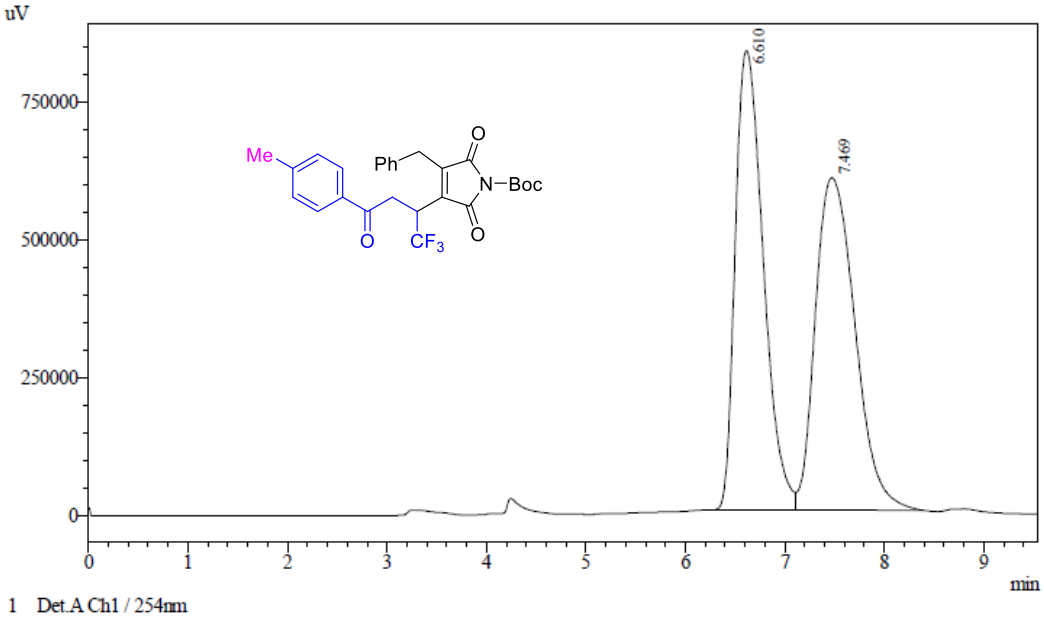
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.304	17101881	1014637	95.491	97.574
2	16.275	807574	25226	4.509	2.426
Total		17909455	1039863	100.000	100.000

^{1}H and ^{13}C NMR of **3ae**





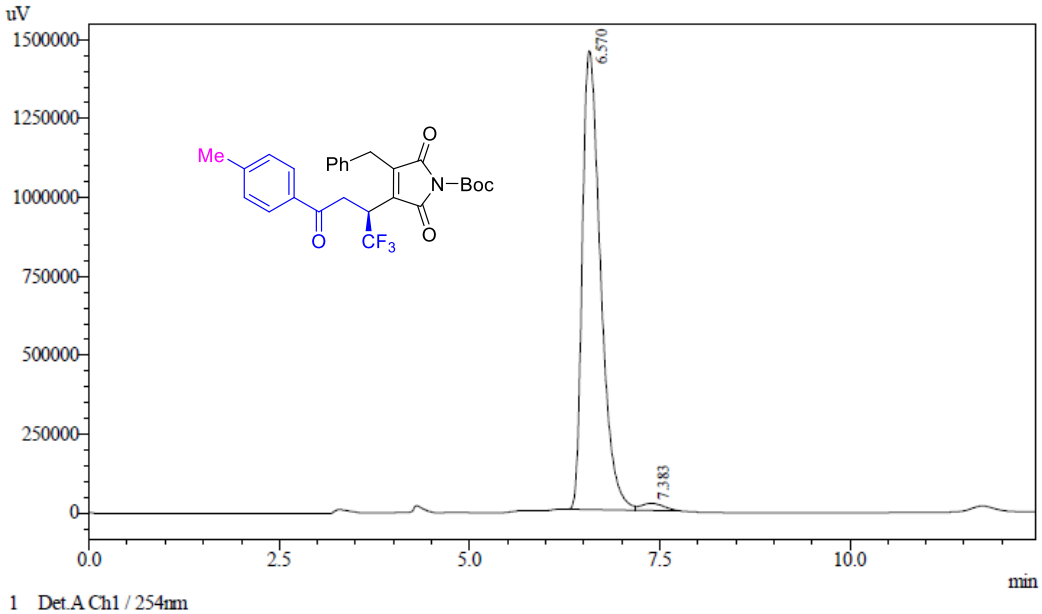
HPLC of **3ae**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.610	16372050	833773	49.447	58.014
2	7.469	16738317	603425	50.553	41.986
Total		33110367	1437198	100.000	100.000

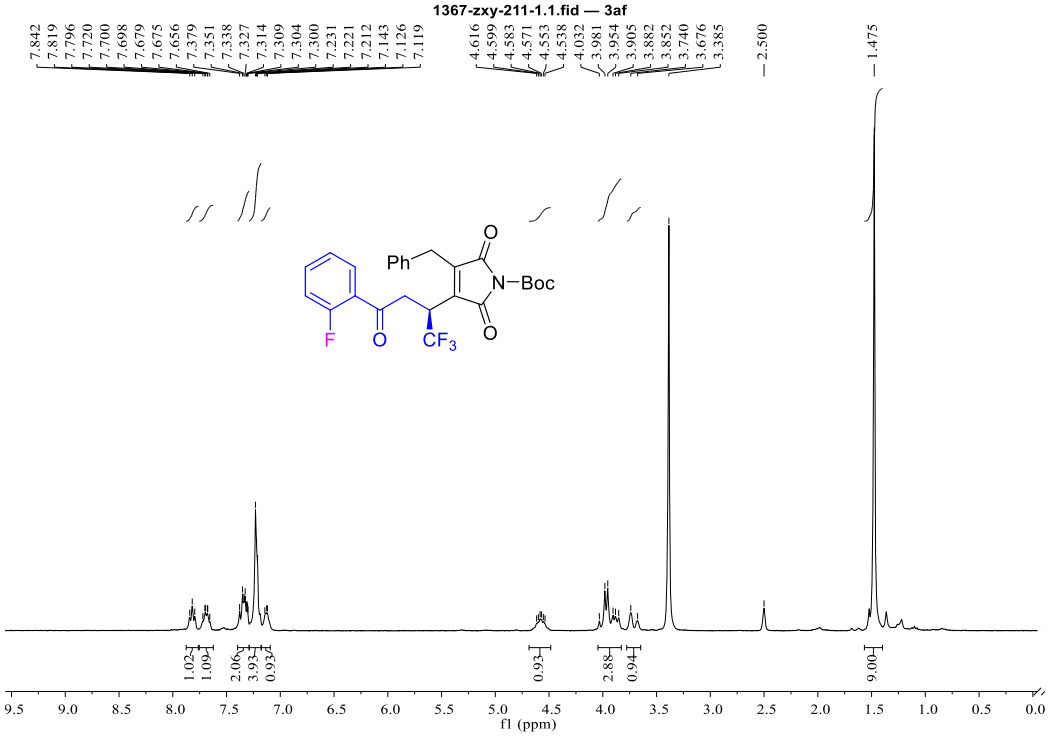


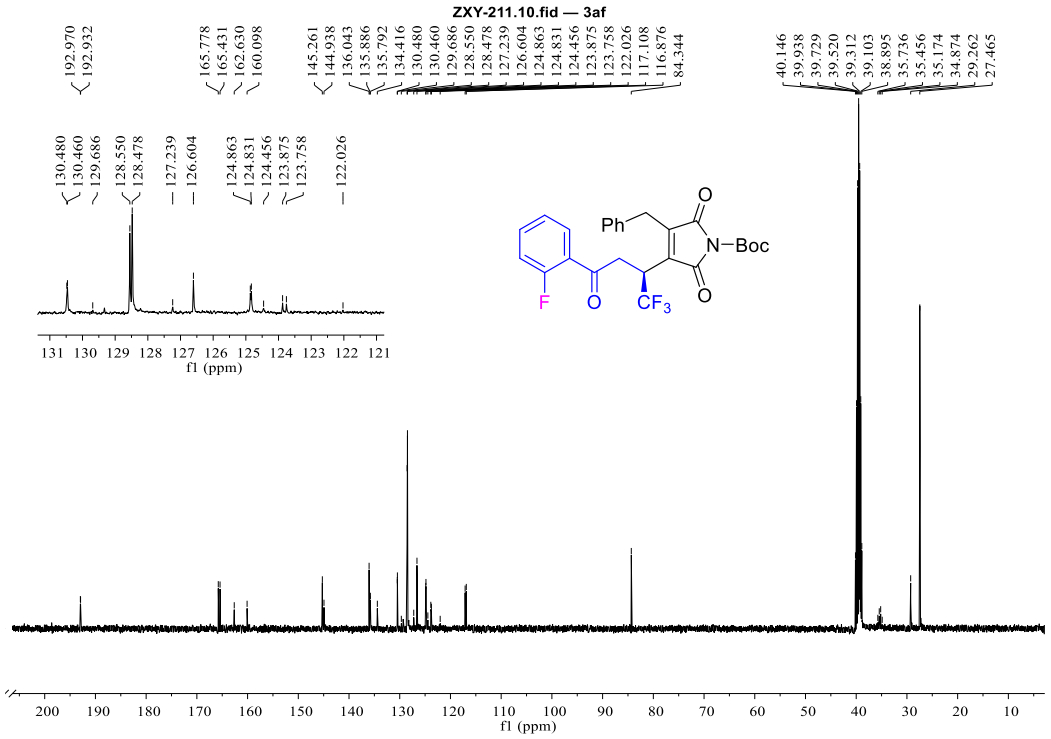
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

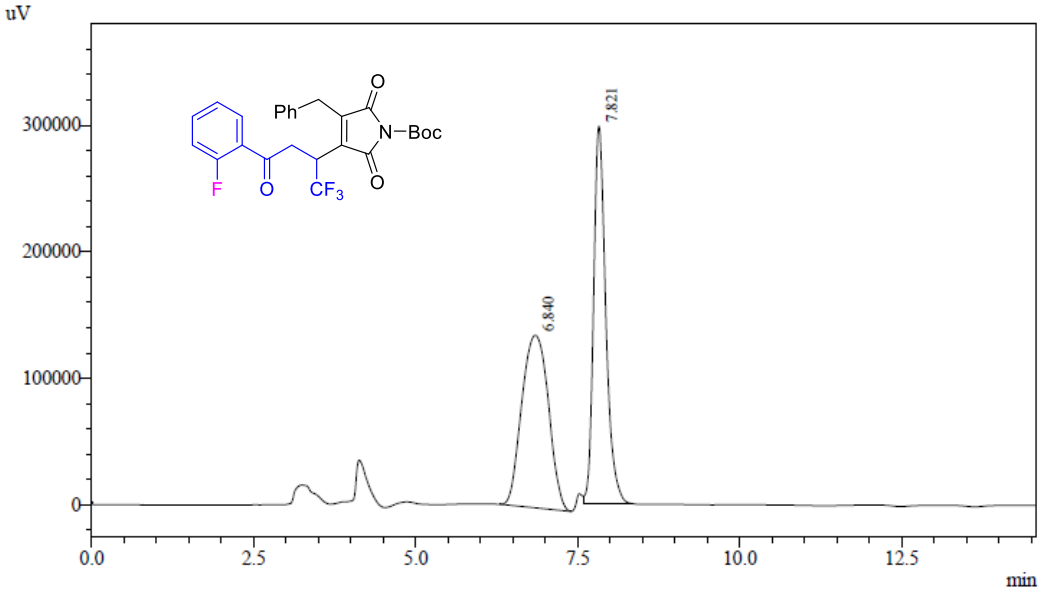
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.570	24284928	1454022	98.047	98.444
2	7.383	483691	22986	1.953	1.556
Total		24768619	1477008	100.000	100.000

^{1}H and ^{13}C NMR of **3af**





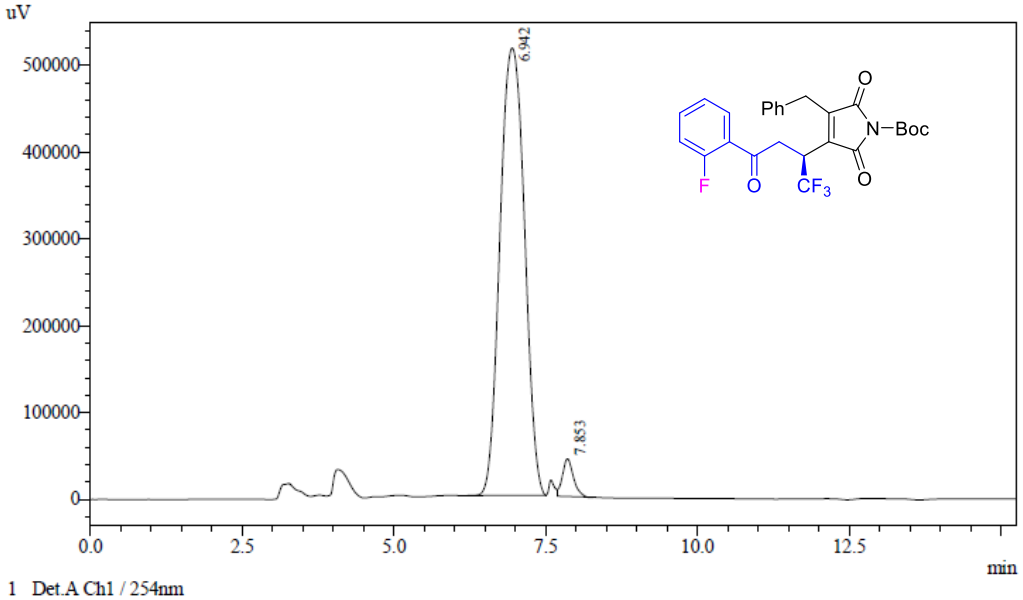
HPLC of **3af**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.840	3907186	136330	50.050	31.357
2	7.821	3899387	298436	49.950	68.643
Total		7806573	434766	100.000	100.000

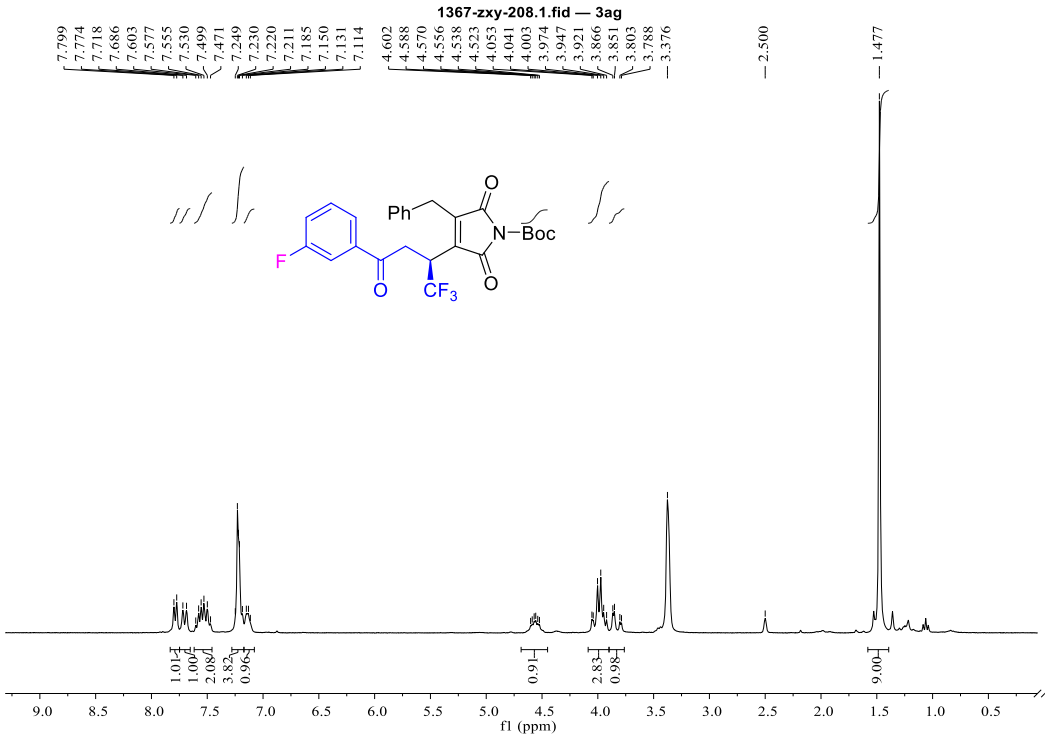


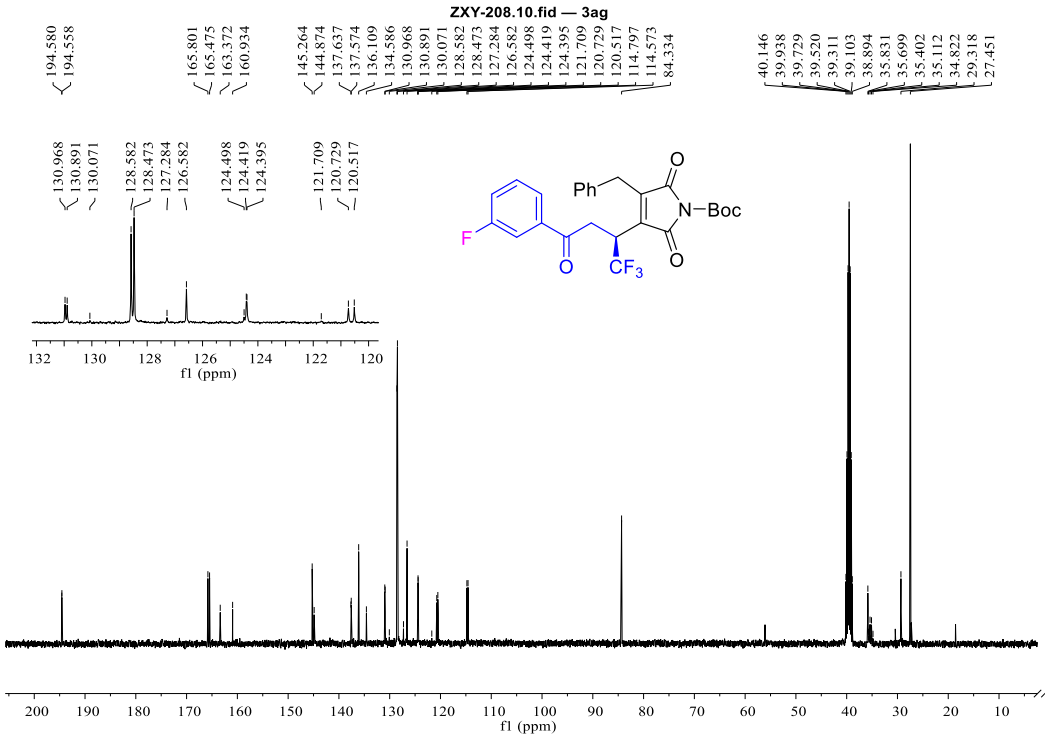
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

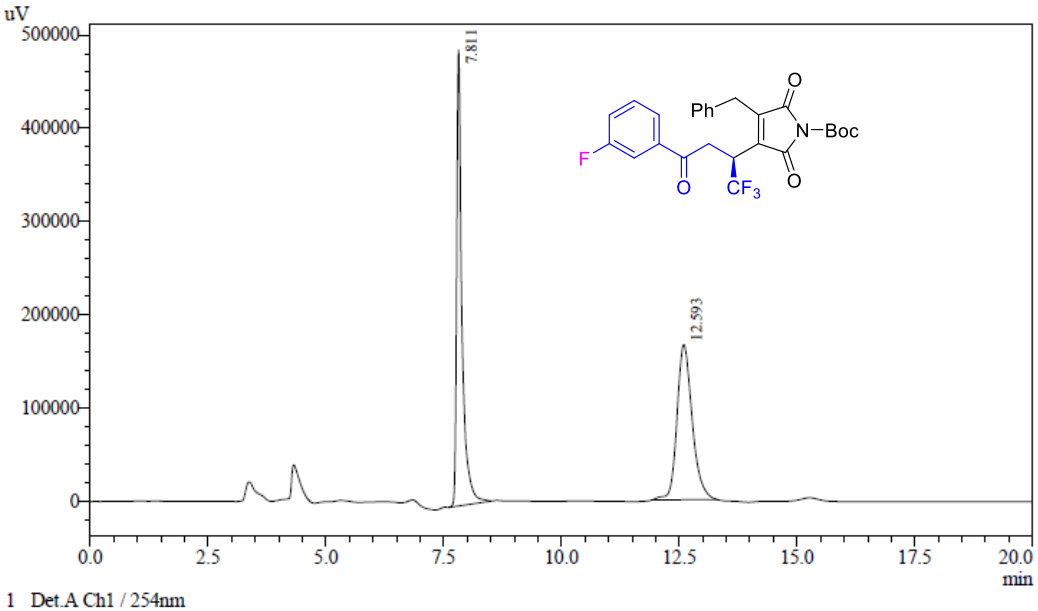
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.942	14502050	515197	96.370	92.252
2	7.853	546291	43270	3.630	7.748
Total		15048341	558467	100.000	100.000

^{1}H and ^{13}C NMR of **3ag**





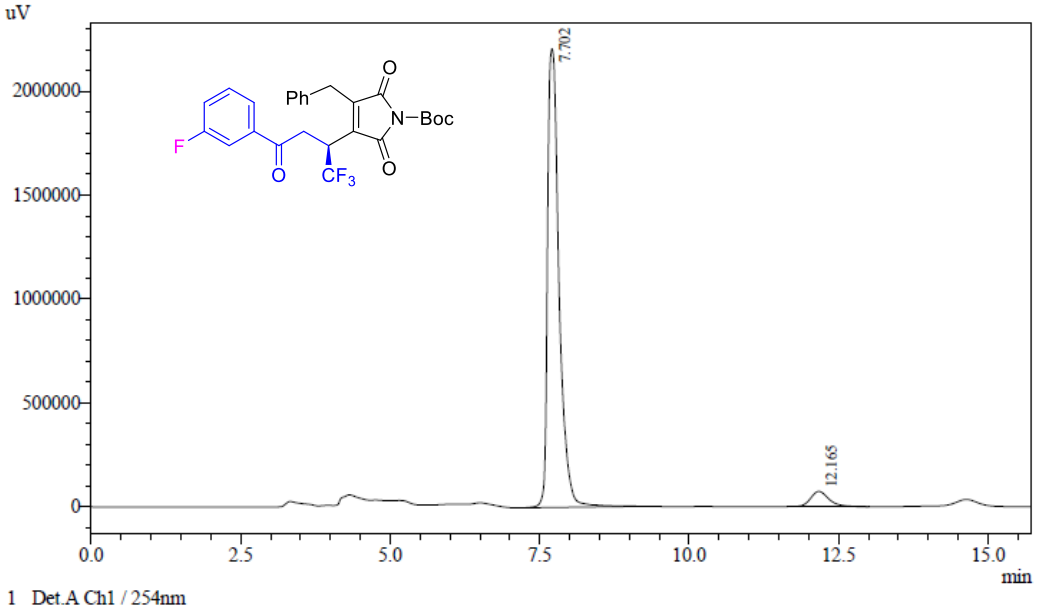
HPLC of **3ag**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.811	3854602	488438	50.701	74.609
2	12.593	3748052	166227	49.299	25.391
Total		7602653	654666	100.000	100.000

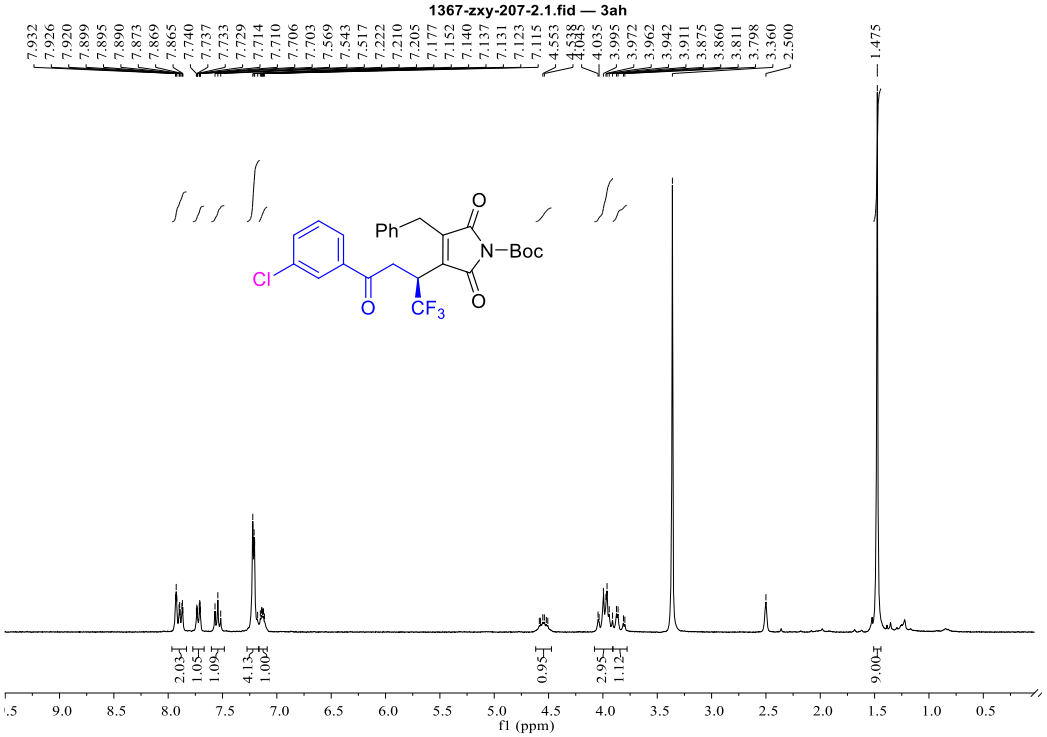


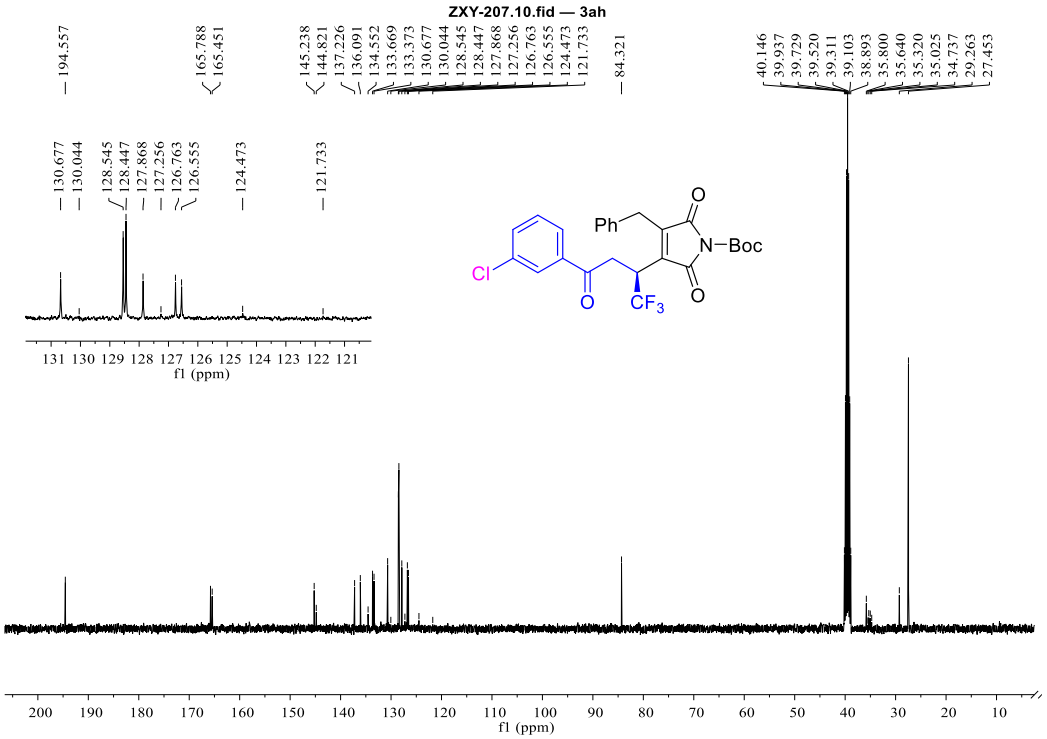
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

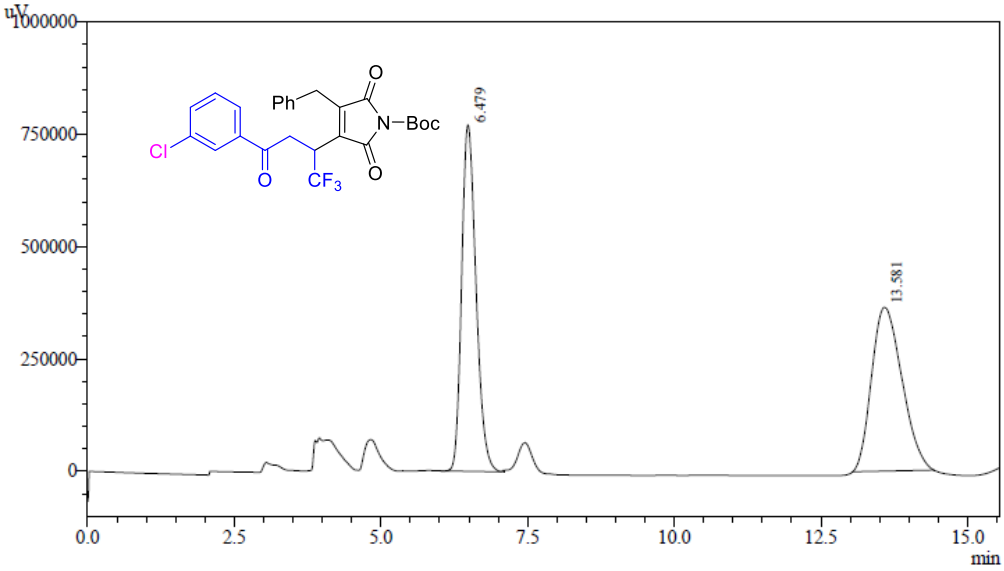
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.702	29615569	2206223	95.087	96.813
2	12.165	1530336	72624	4.913	3.187
Total		31145905	2278847	100.000	100.000

^{1}H and ^{13}C NMR of **3ah**





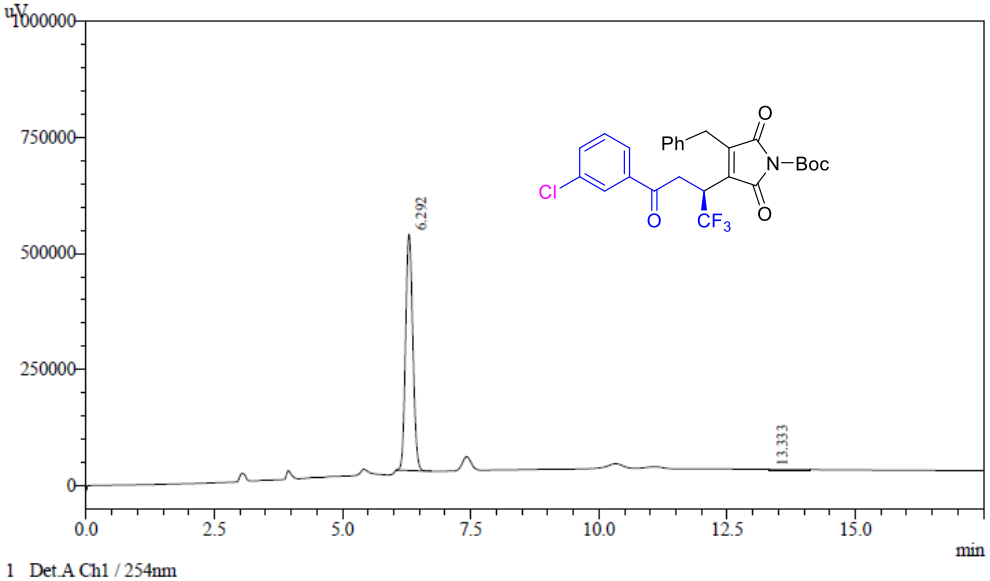
HPLC of **3ah**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.479	13136741	771390	49.939	67.889
2	13.581	13168589	364855	50.061	32.111
Total		26305329	1136246	100.000	100.000

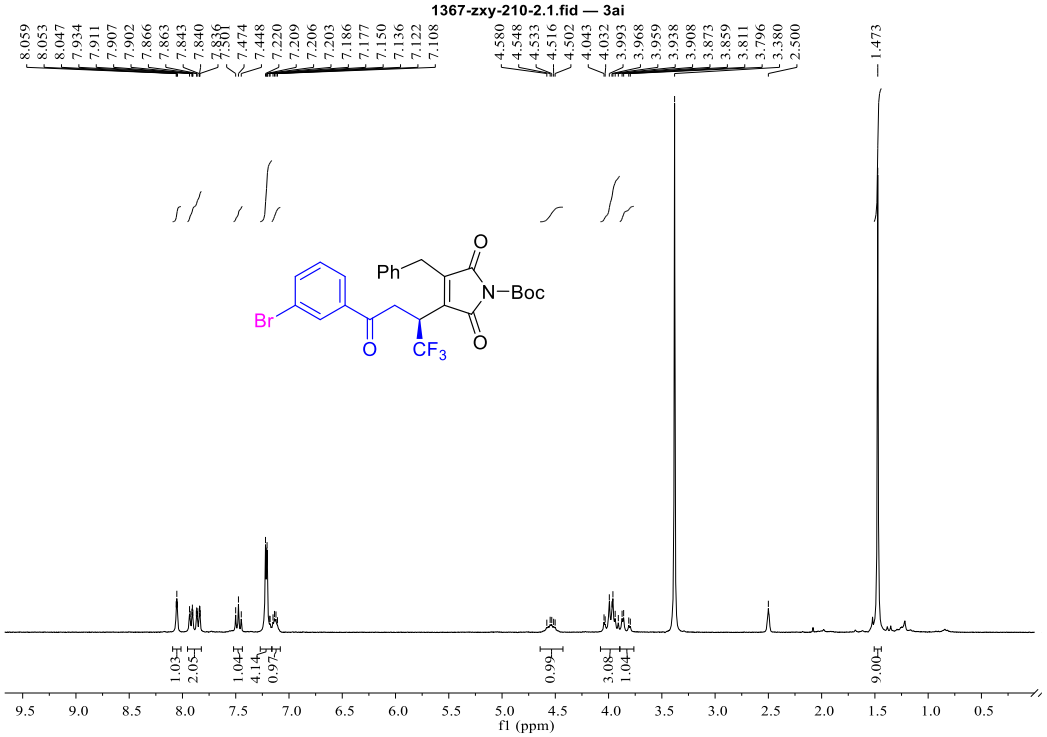


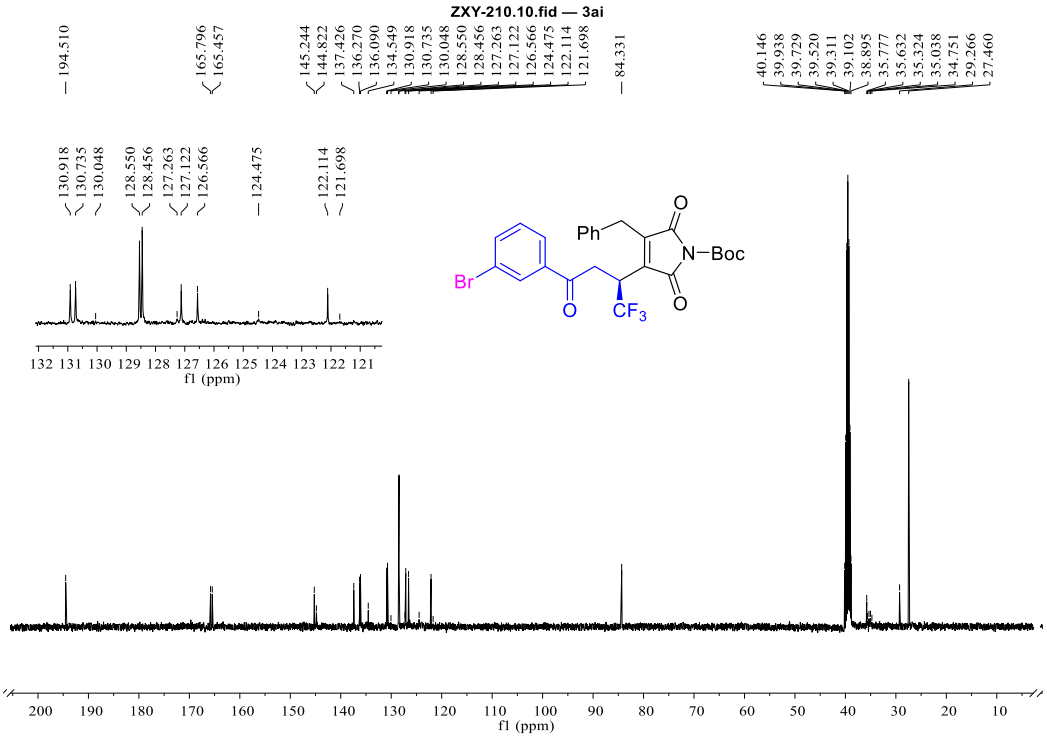
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

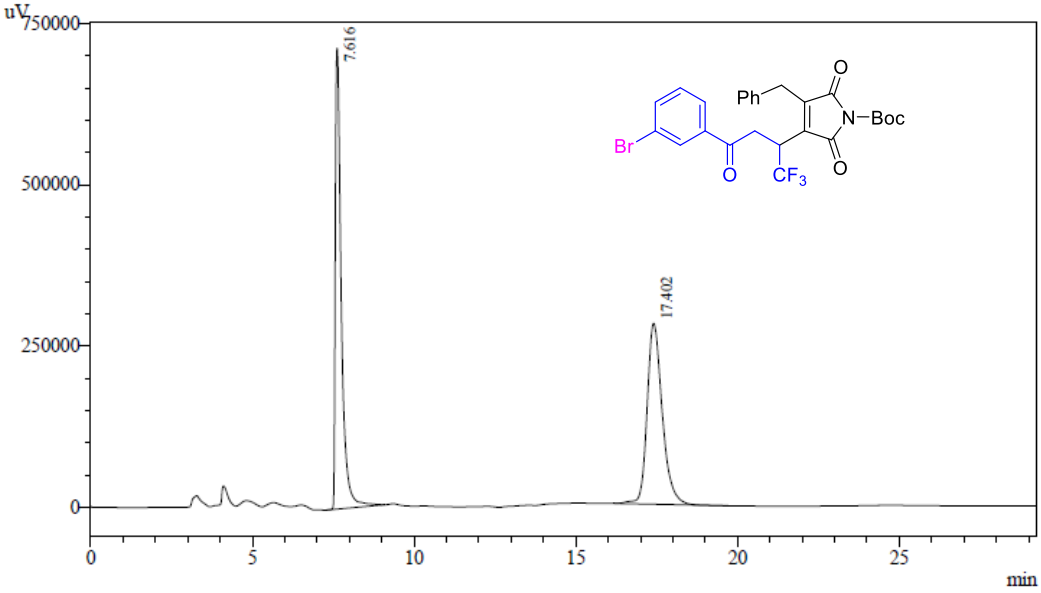
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.292	5005927	509343	99.233	99.776
2	13.333	38667	1144	0.767	0.224
Total		5044594	510488	100.000	100.000

^{1}H and ^{13}C NMR of **3ai**





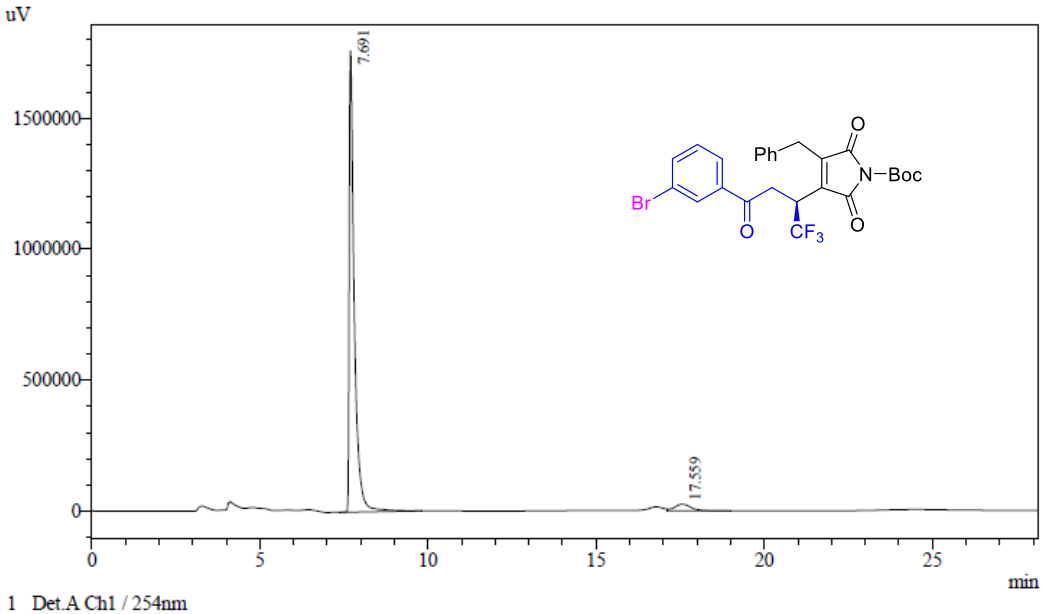
HPLC of **3ai**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.616	9220477	714405	50.227	71.810
2	17.402	9137030	280450	49.773	28.190
Total		18357507	994855	100.000	100.000

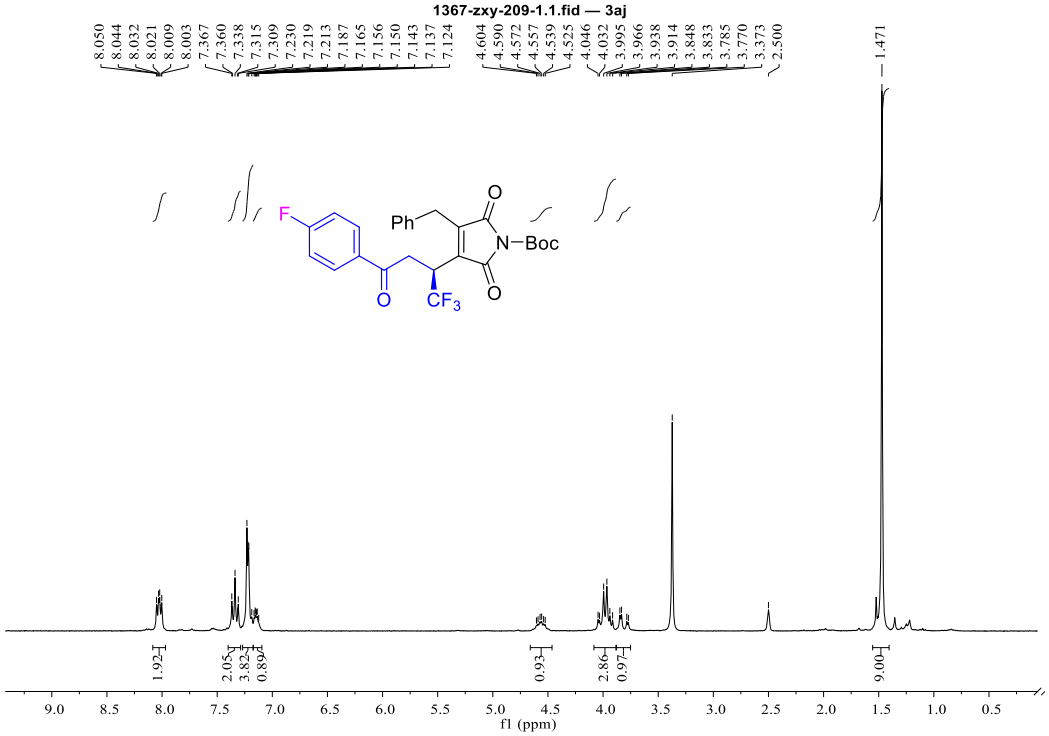


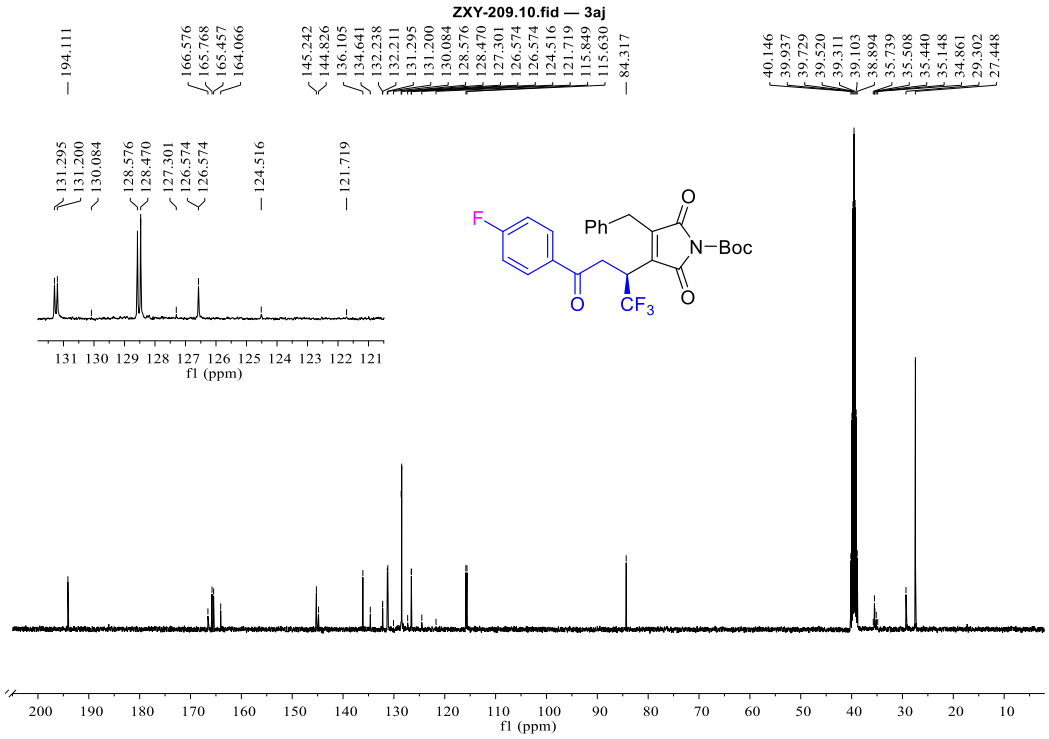
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

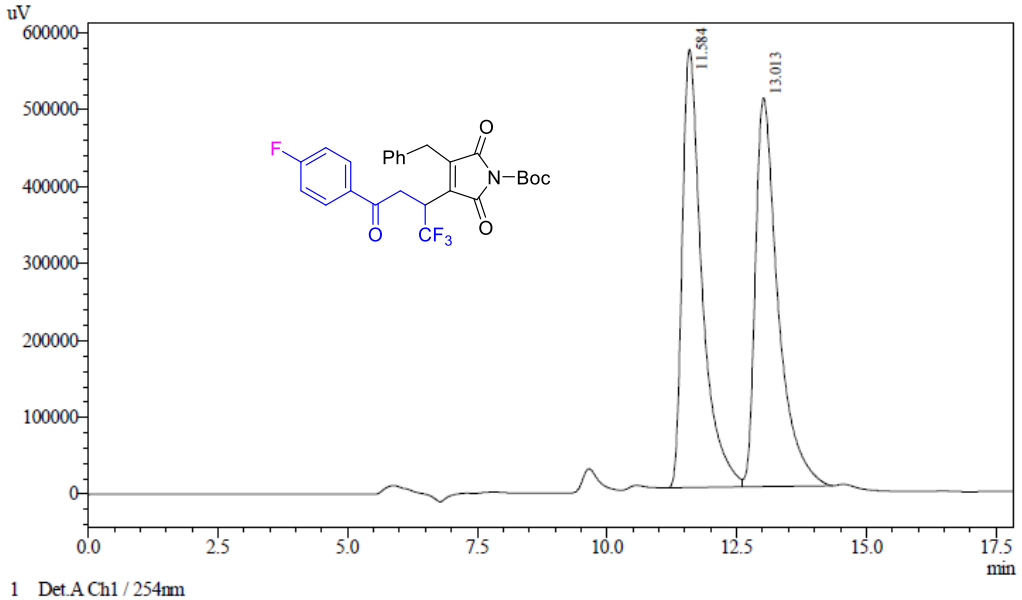
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.691	18494511	1761550	95.479	98.550
2	17.559	875773	25923	4.521	1.450
Total		19370283	1787473	100.000	100.000

^{1}H and ^{13}C NMR of **3aj**





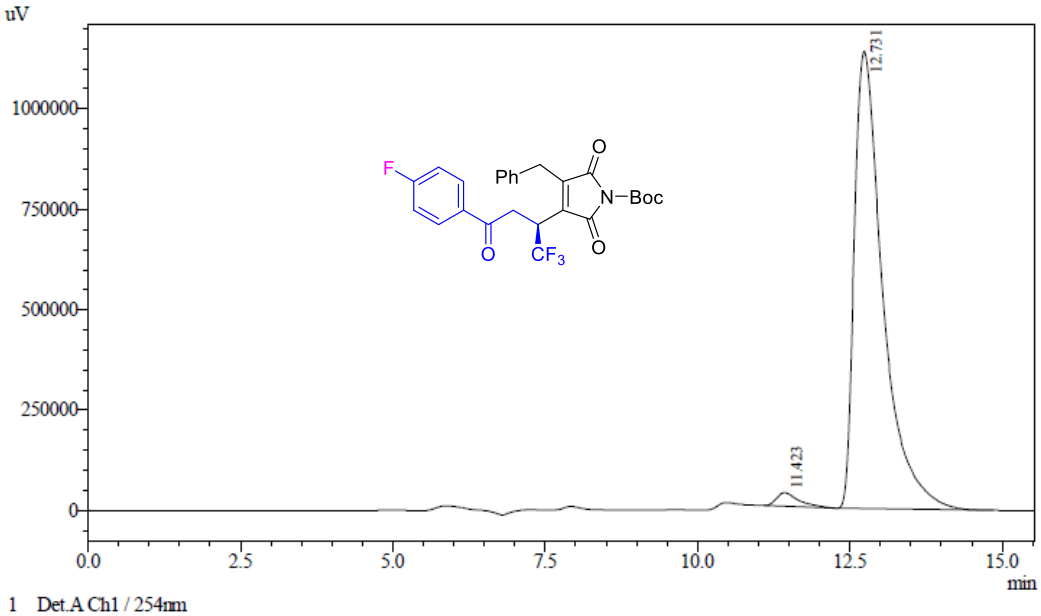
HPLC of **3aj**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.584	15154887	570644	49.650	53.018
2	13.013	15368558	505675	50.350	46.982
Total		30523446	1076319	100.000	100.000

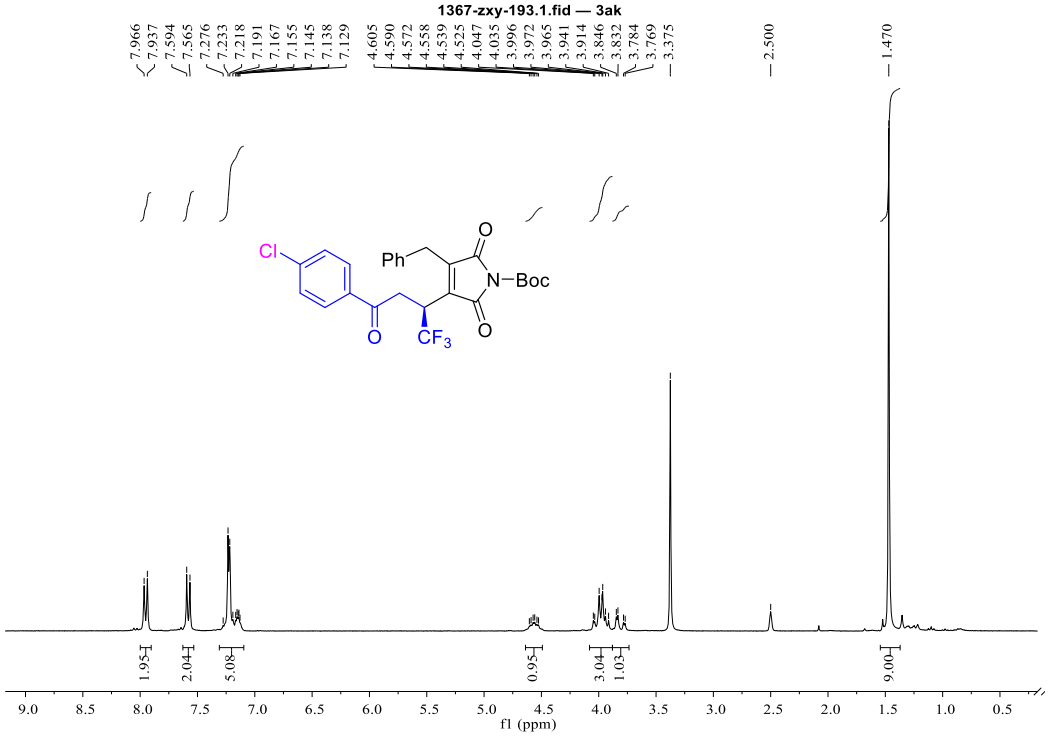


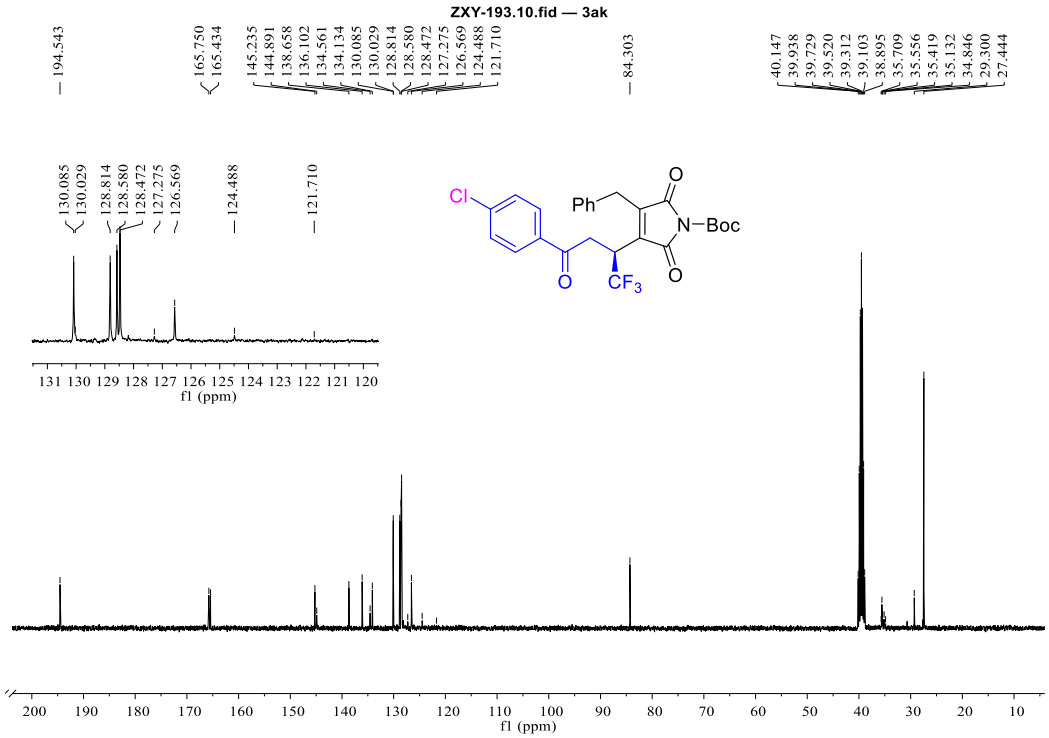
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

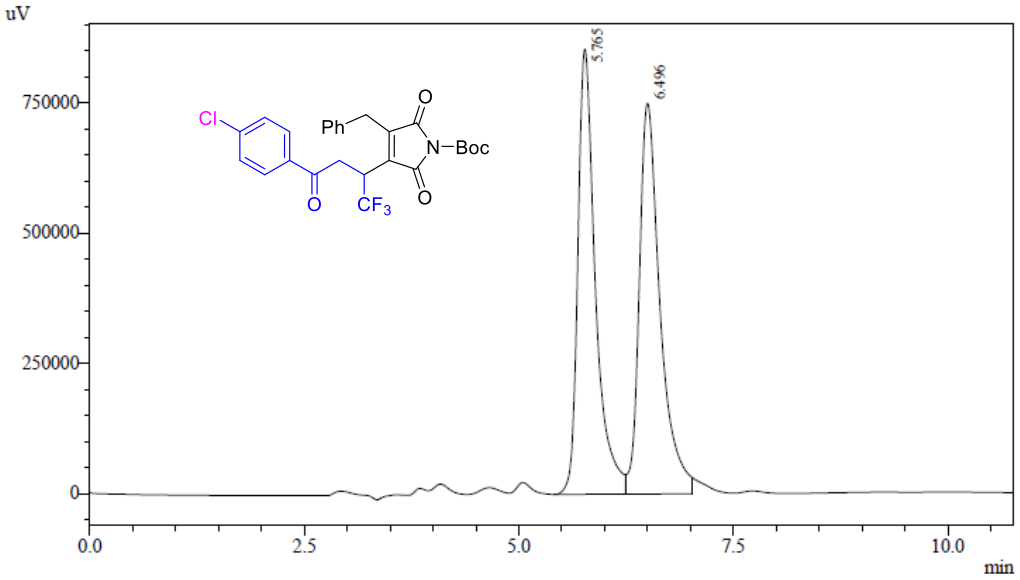
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.423	873628	33872	2.300	2.889
2	12.731	37116112	1138589	97.700	97.111
Total		37989740	1172461	100.000	100.000

^{1}H and ^{13}C NMR of **3ak**





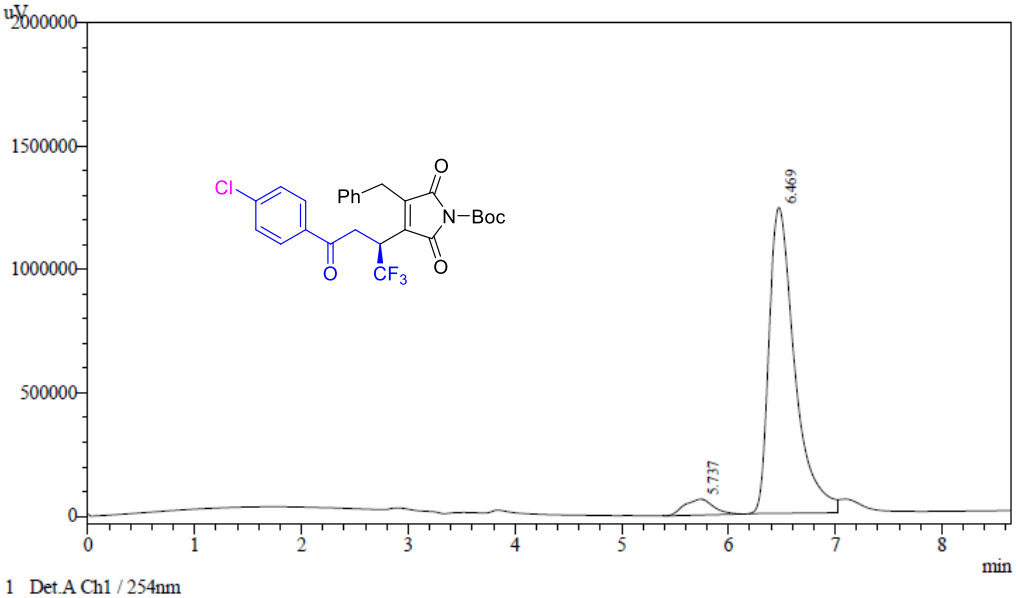
HPLC of **3ak**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.765	12204506	854574	49.026	53.250
2	6.496	12689644	750273	50.974	46.750
Total		24894150	1604847	100.000	100.000

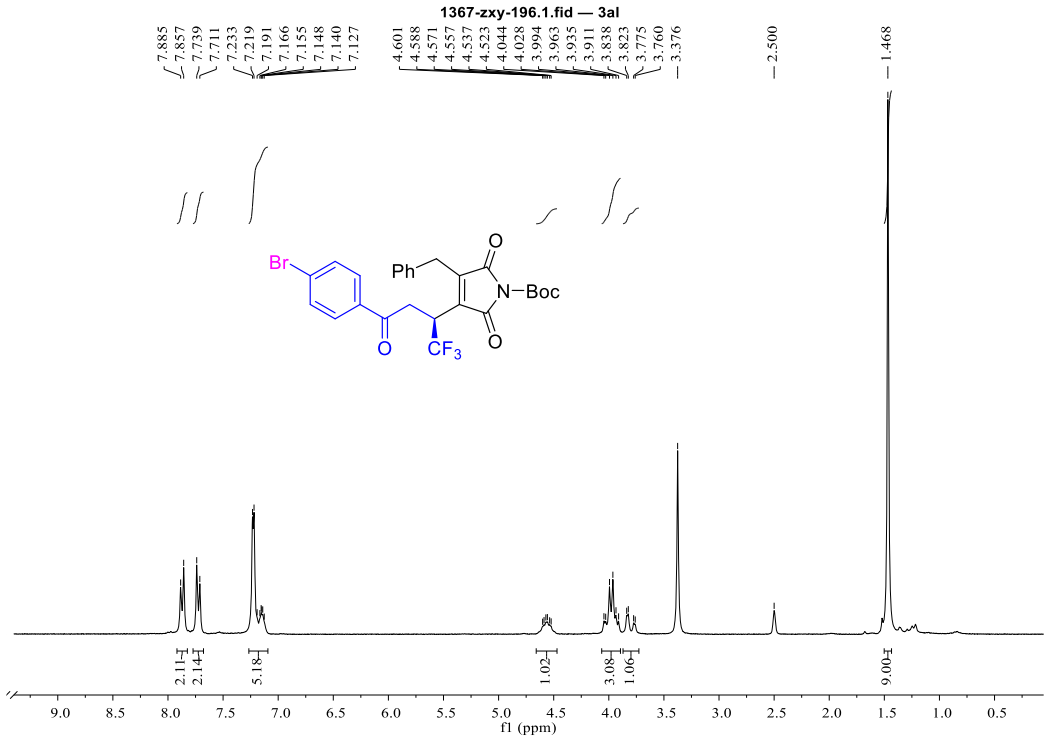


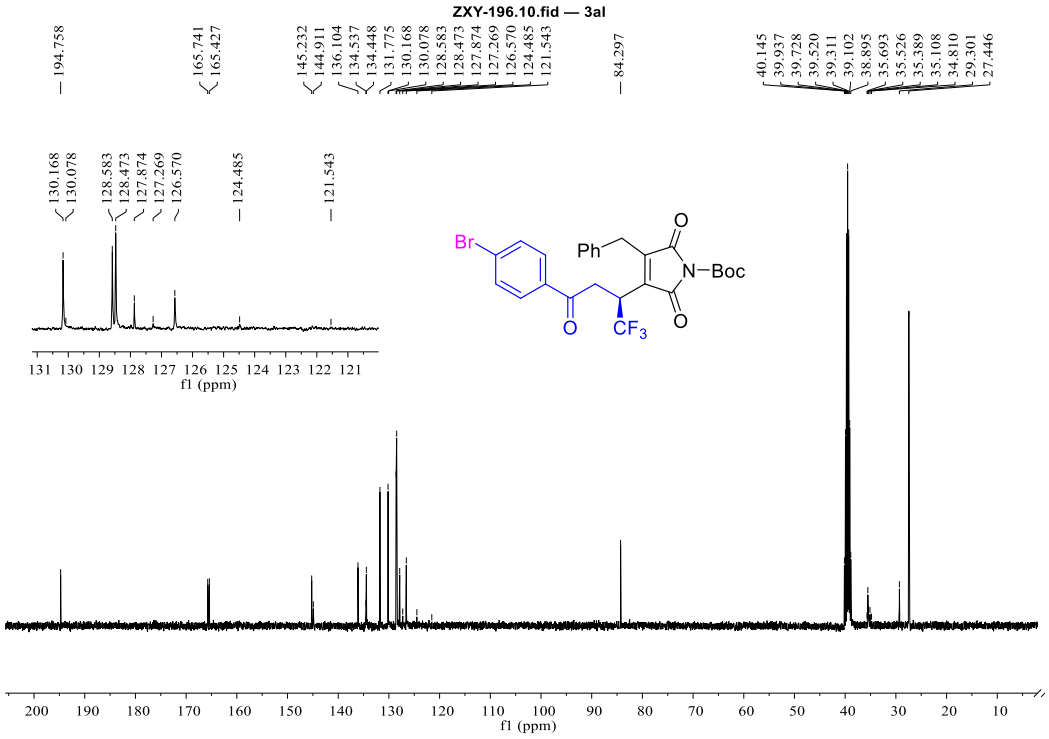
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

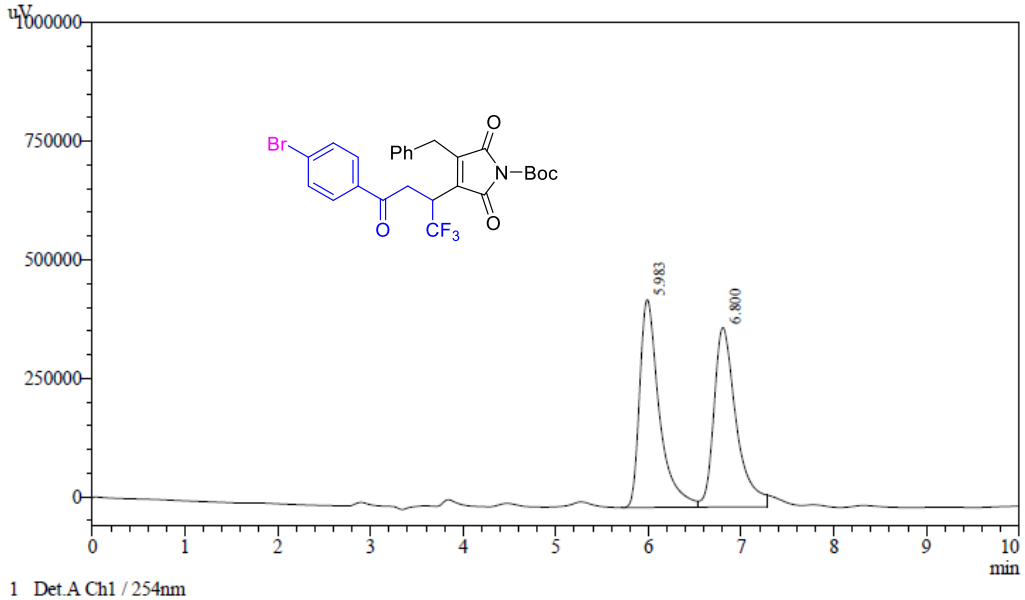
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.737	1214973	64833	5.524	4.968
2	6.469	20779520	1240176	94.476	95.032
Total		21994492	1305010	100.000	100.000

^{1}H and ^{13}C NMR of **3al**





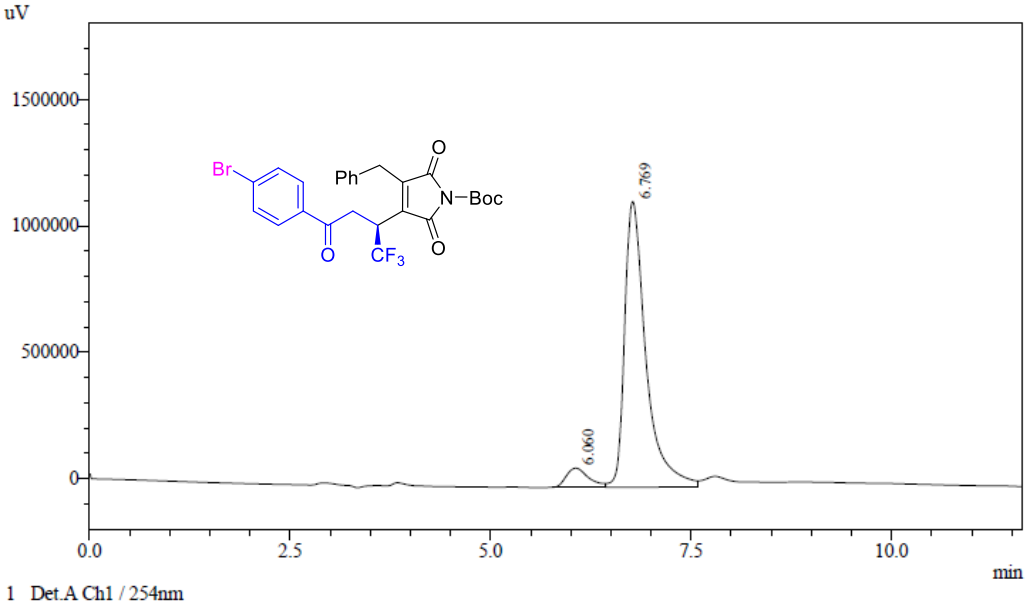
HPLC of **3al**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.983	6463064	438442	50.453	53.684
2	6.800	6346978	378262	49.547	46.316
Total		12810041	816704	100.000	100.000

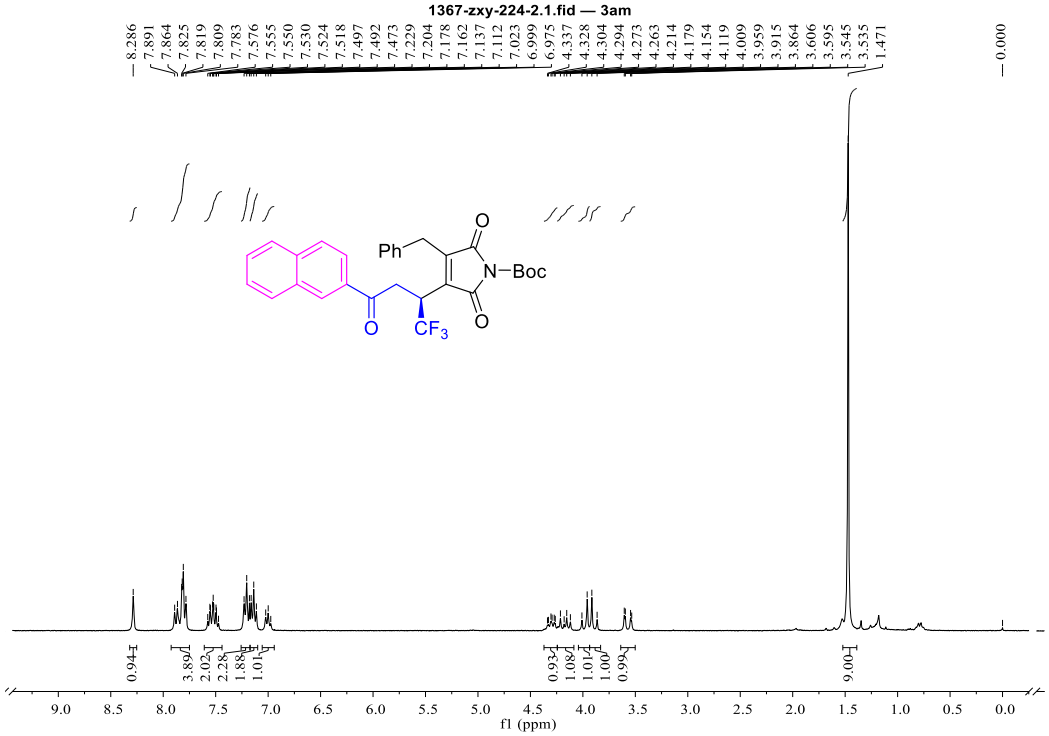


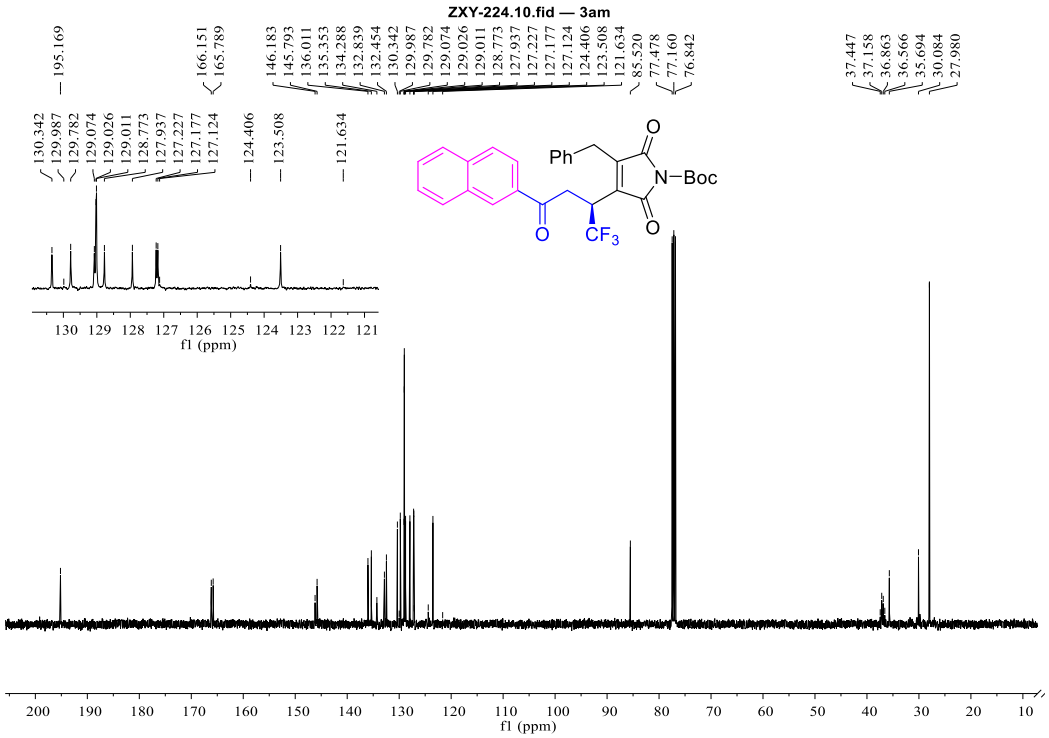
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

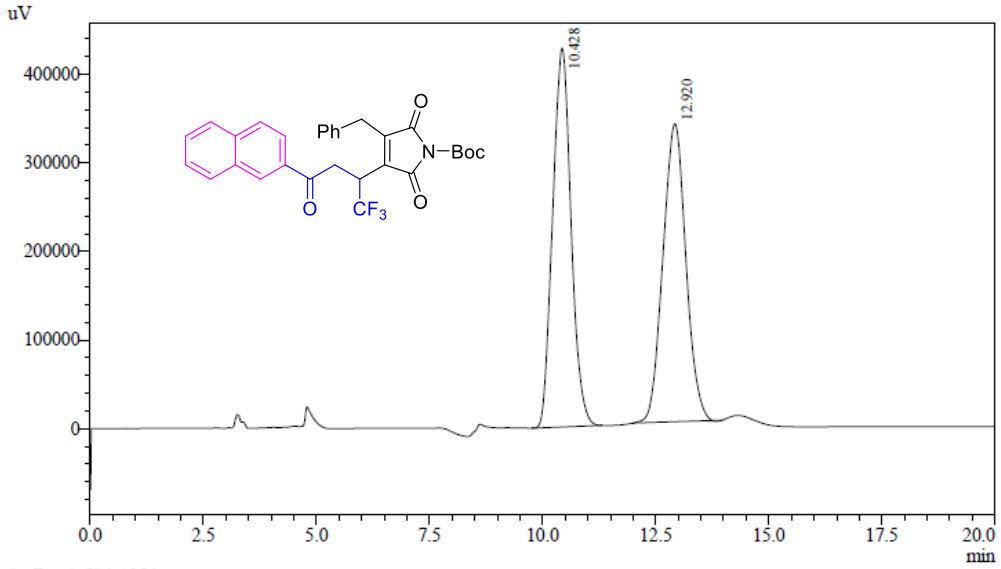
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.060	1463074	75452	6.521	6.264
2	6.769	20972038	1129019	93.479	93.736
Total		22435112	1204471	100.000	100.000

^{1}H and ^{13}C NMR of **3am**





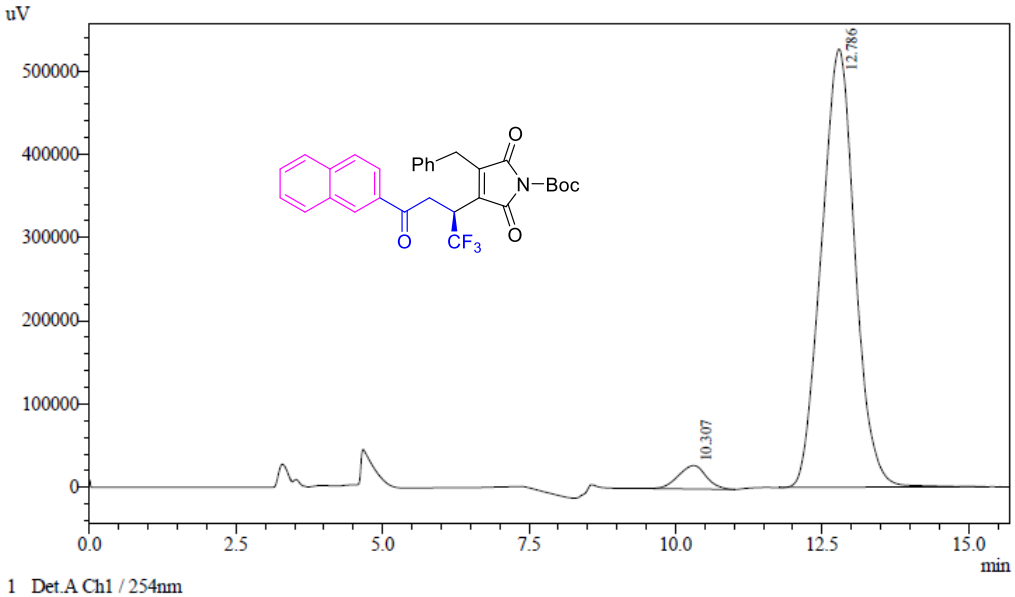
HPLC of **3am**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.428	12124821	427671	50.533	55.987
2	12.920	11869097	336205	49.467	44.013
Total		23993918	763877	100.000	100.000

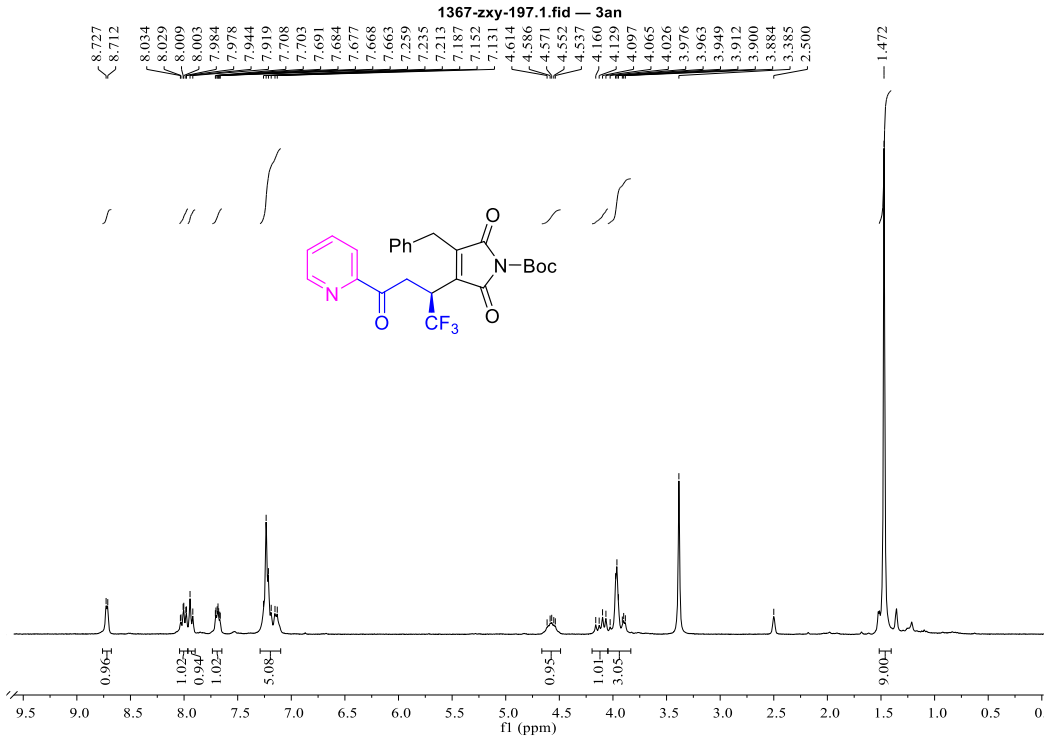


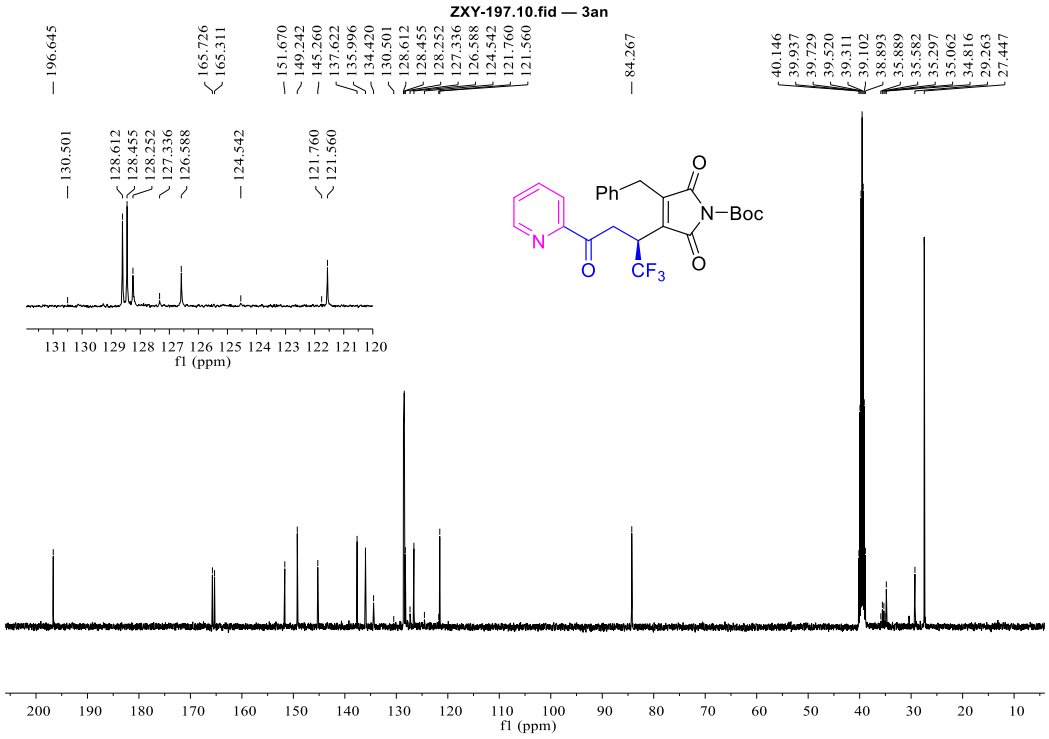
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

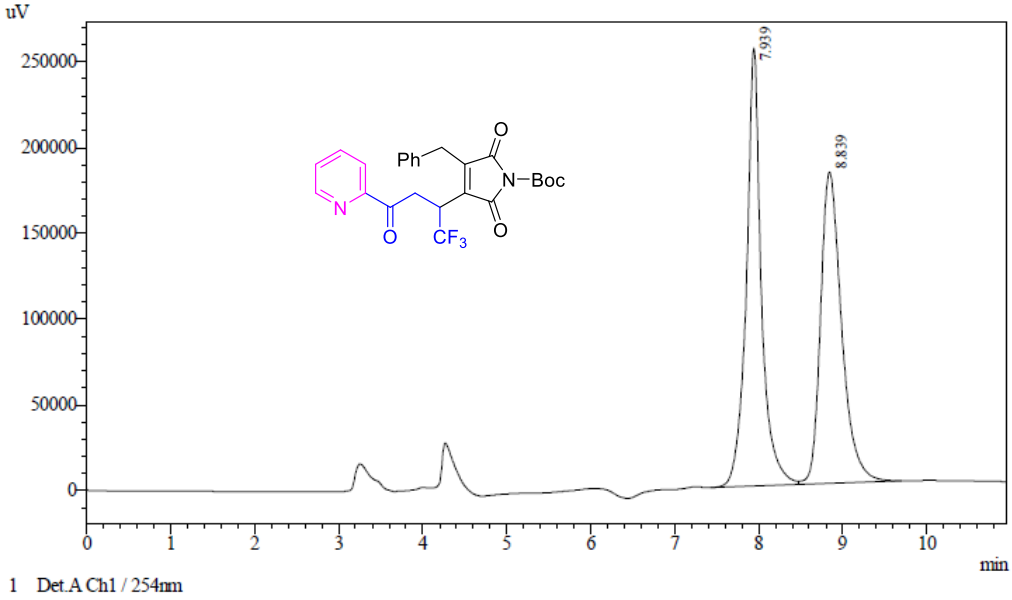
Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.307	926900	28197	4.229	5.087
2	12.786	20992048	526143	95.771	94.913
Total		21918949	554340	100.000	100.000

^{1}H and ^{13}C NMR of **3an**



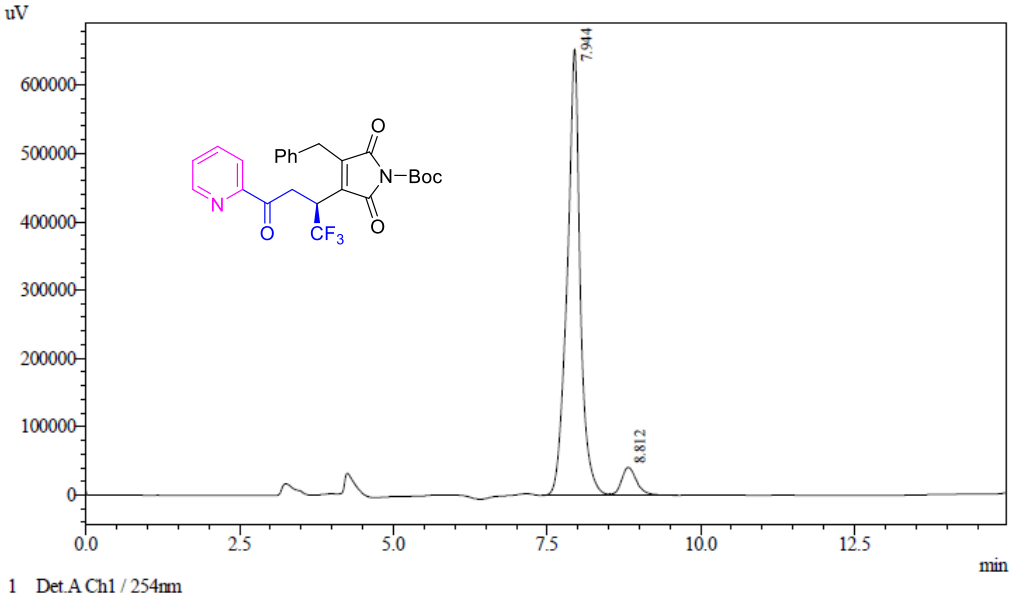


HPLC of **3an**



Detector A Ch1 254nm

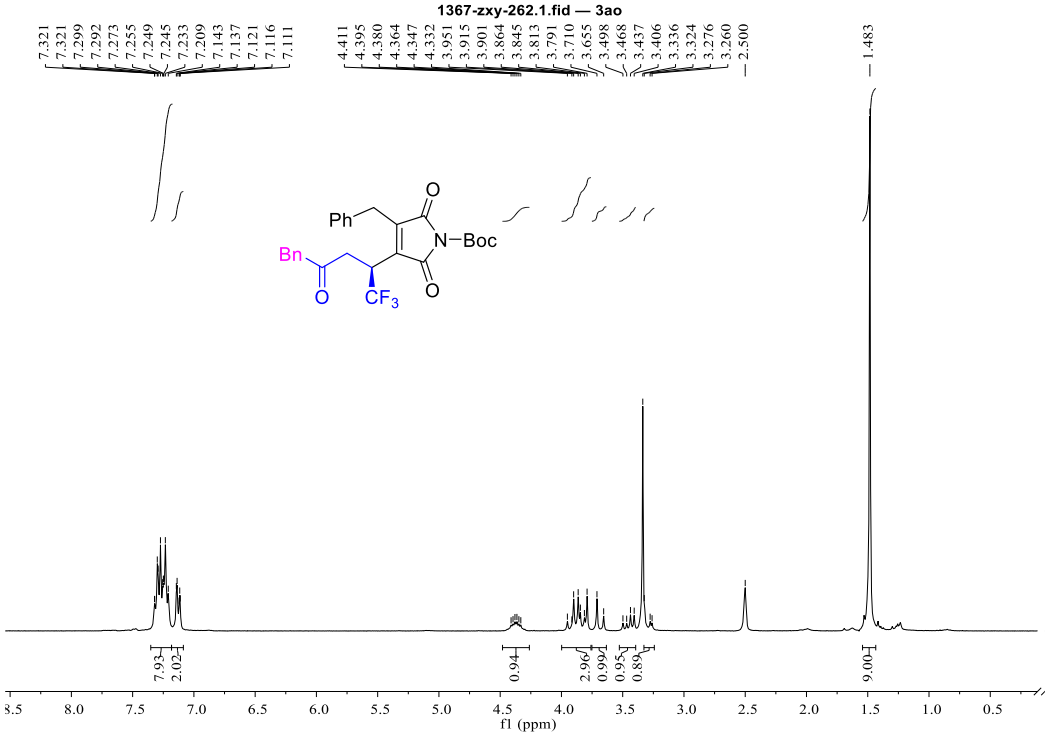
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.939	3196434	255492	50.200	58.445
2	8.839	3170910	181656	49.800	41.555
Total		6367344	437148	100.000	100.000

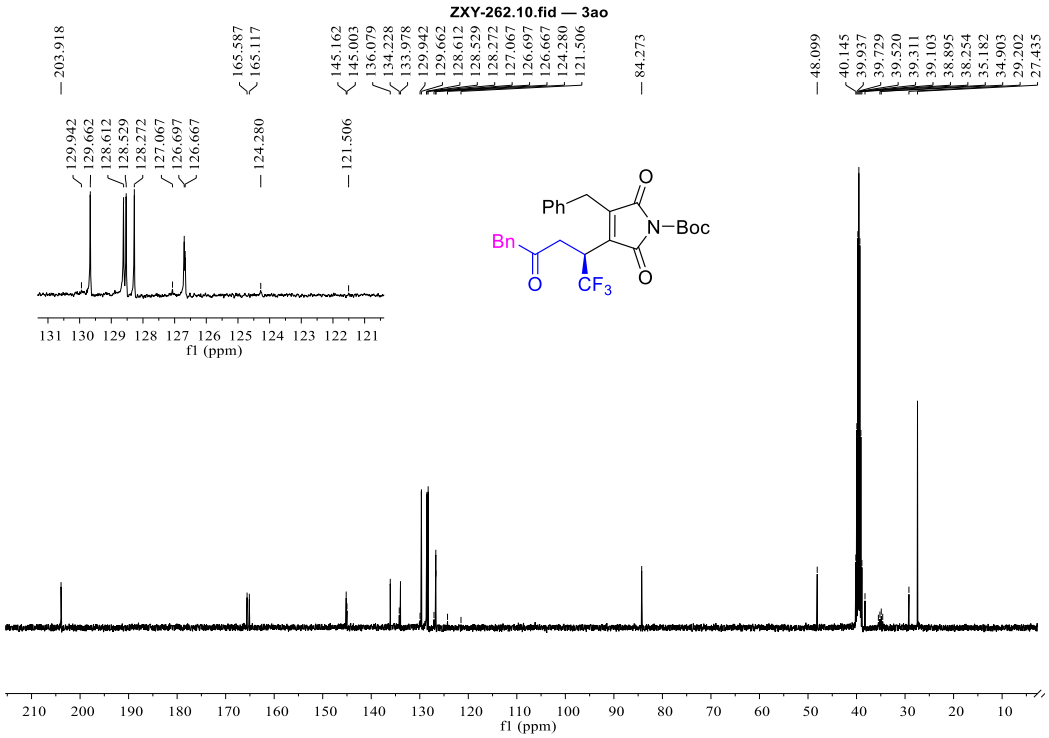


Detector A Ch1 254nm

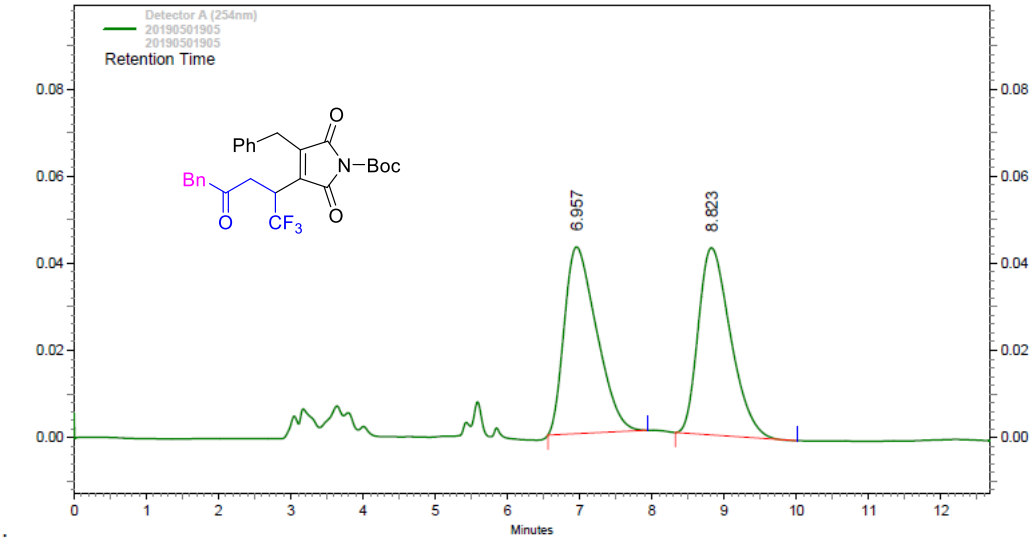
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.944	9633642	654276	93.076	94.104
2	8.812	716692	40993	6.924	5.896
Total		10350333	695270	100.000	100.000

^{1}H and ^{13}C NMR of **3ao**



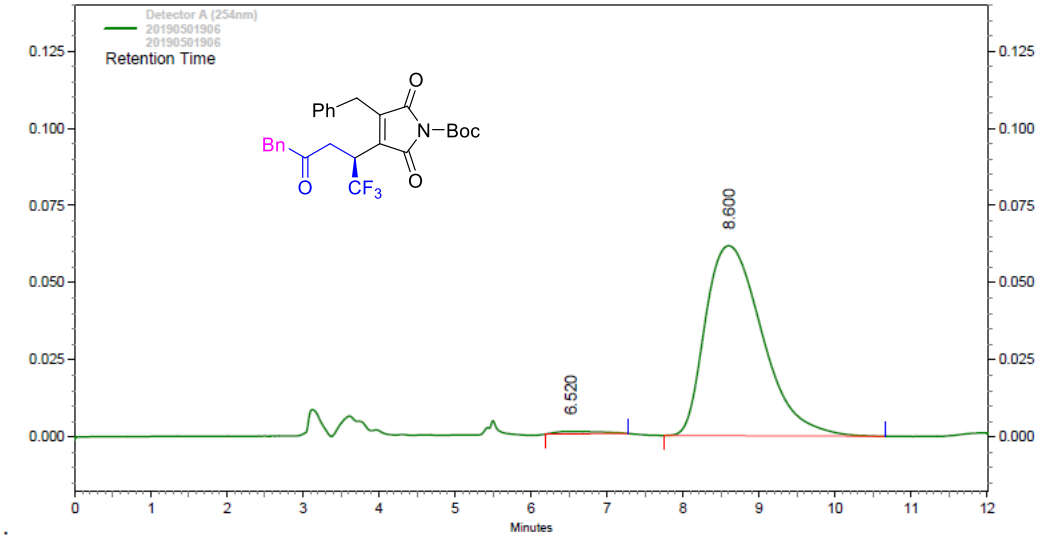


HPLC of **3ao**



Detector A (254nm)

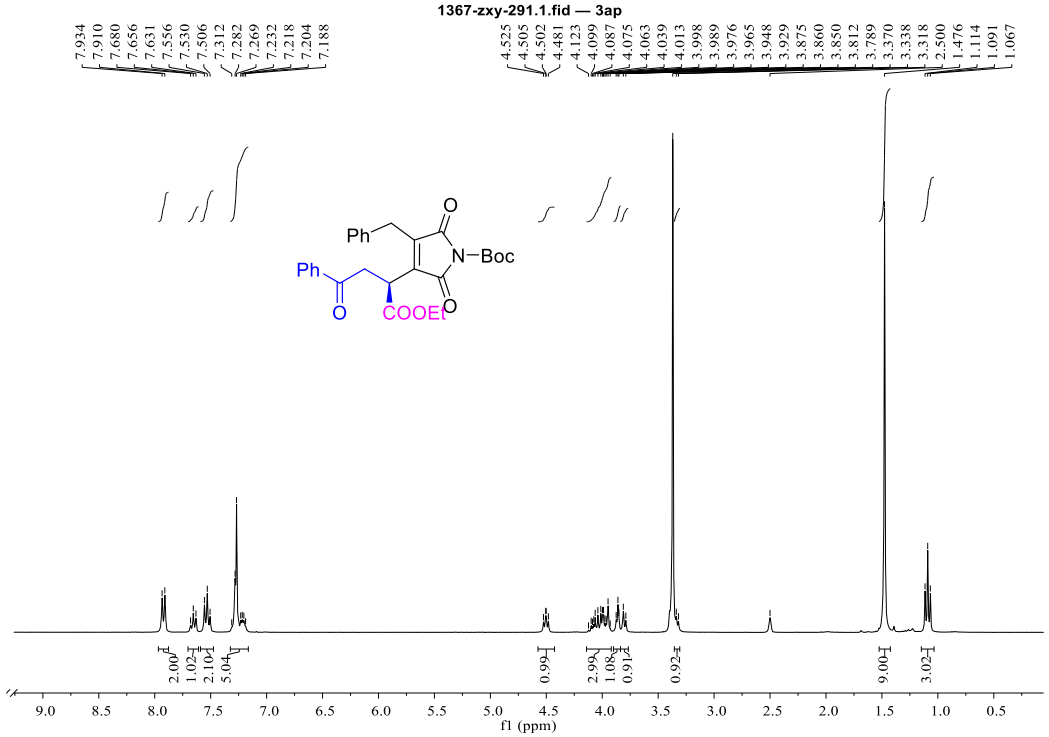
Pk #	Retention Time	Height	Height Percent	Area	Area Percent
1	6.957	42847	49.94	1334724	50.03
2	8.823	42954	50.06	1332864	49.97
Totals		85801	100.00	2667588	100.00

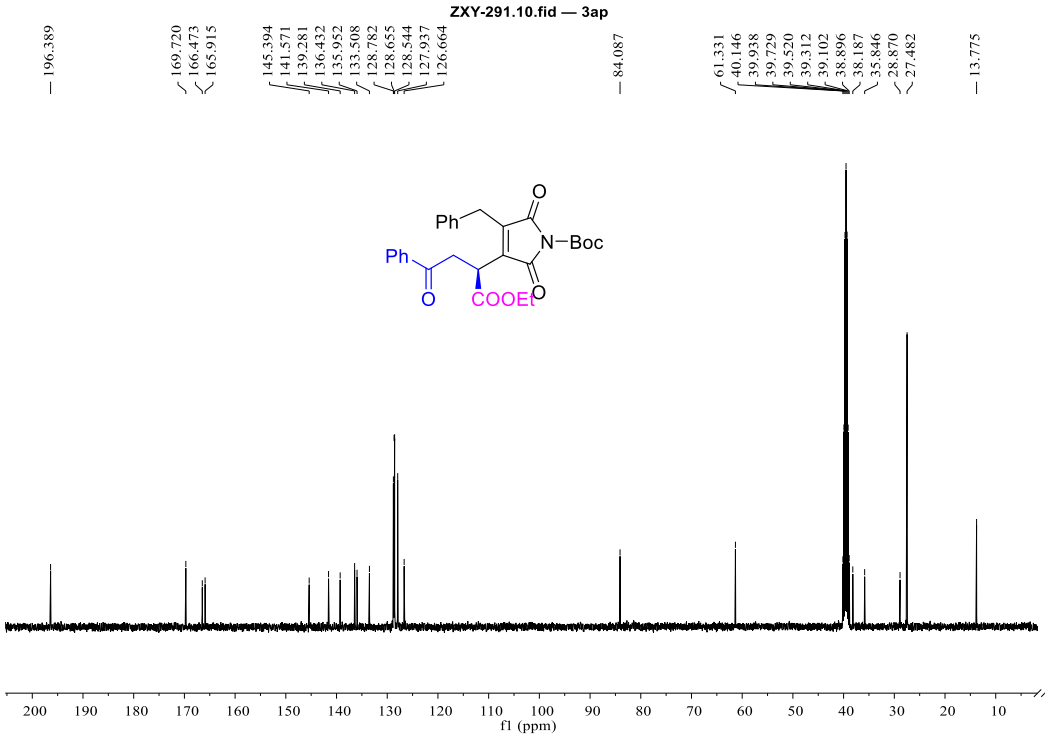


Detector A (254nm)

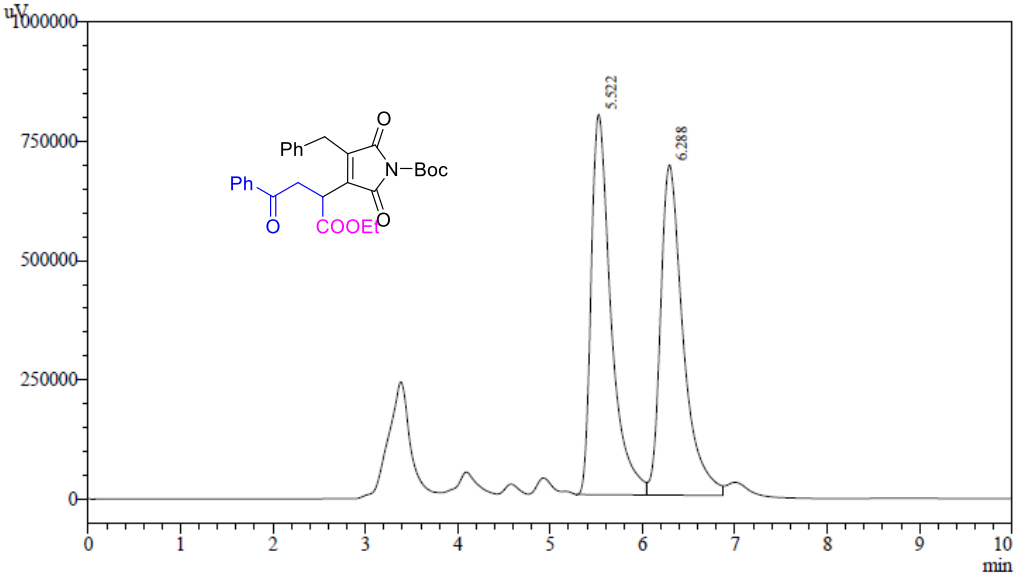
Pk #	Retention Time	Height	Height Percent	Area	Area Percent
1	6.520	803	1.29	34362	1.06
2	8.600	61546	98.71	3204617	98.94
Totals		62349	100.00	3238979	100.00

^{1}H and ^{13}C NMR of **3ap**





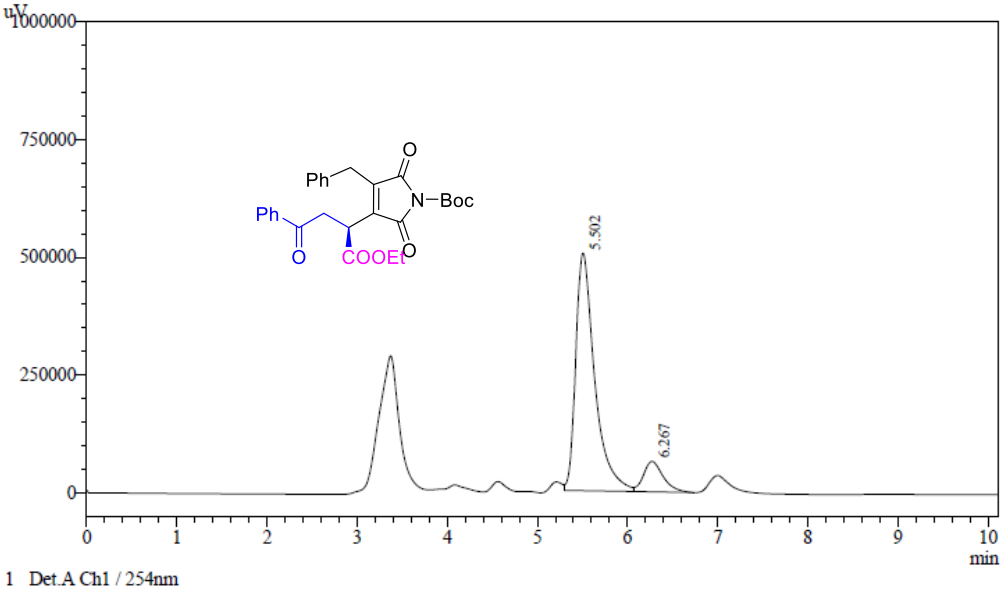
HPLC of **3ap**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.522	11896598	797510	50.202	53.542
2	6.288	11800722	691997	49.798	46.458
Total		23697321	1489507	100.000	100.000

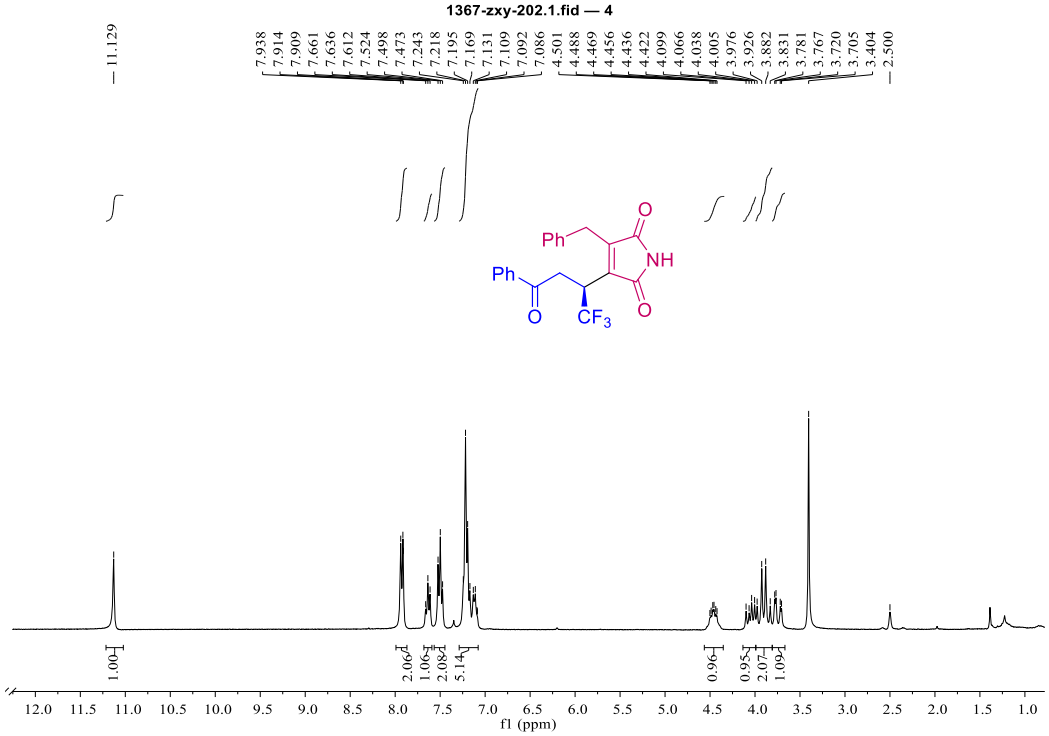


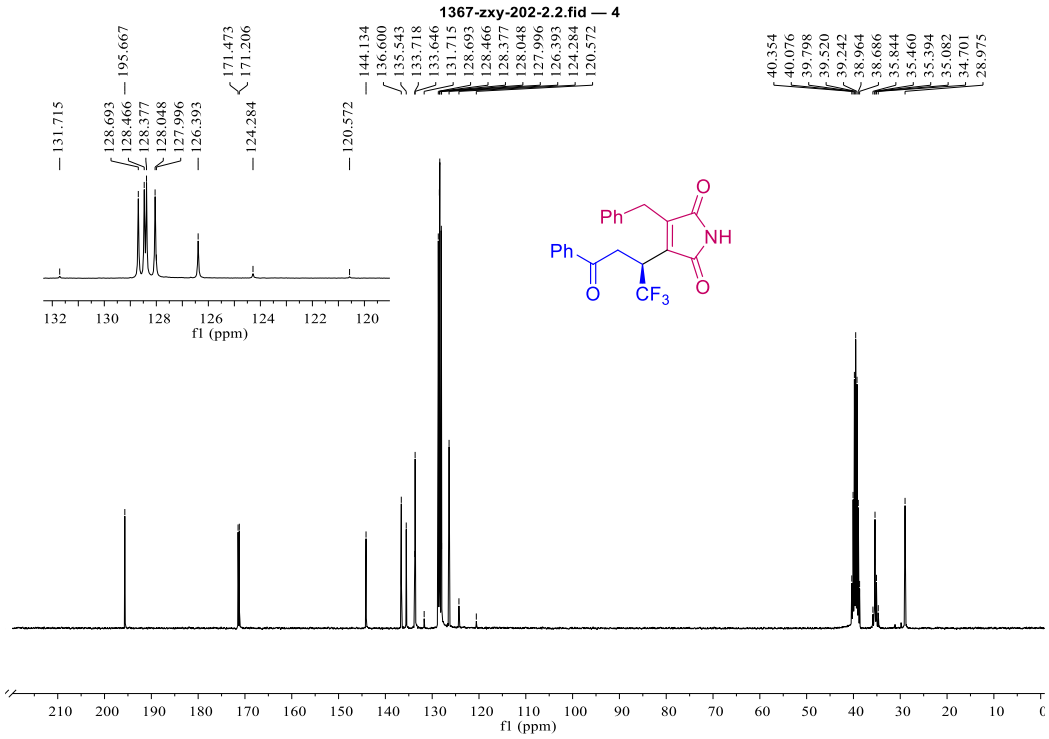
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

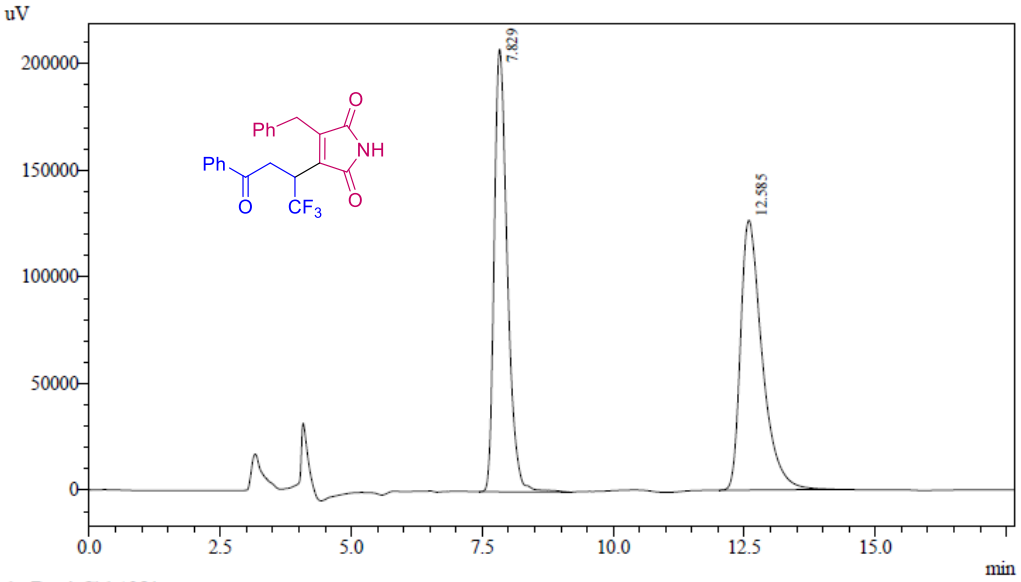
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.502	7399863	504800	88.245	88.654
2	6.267	985726	64602	11.755	11.346
Total		8385589	569401	100.000	100.000

^{1}H and ^{13}C NMR of **4**





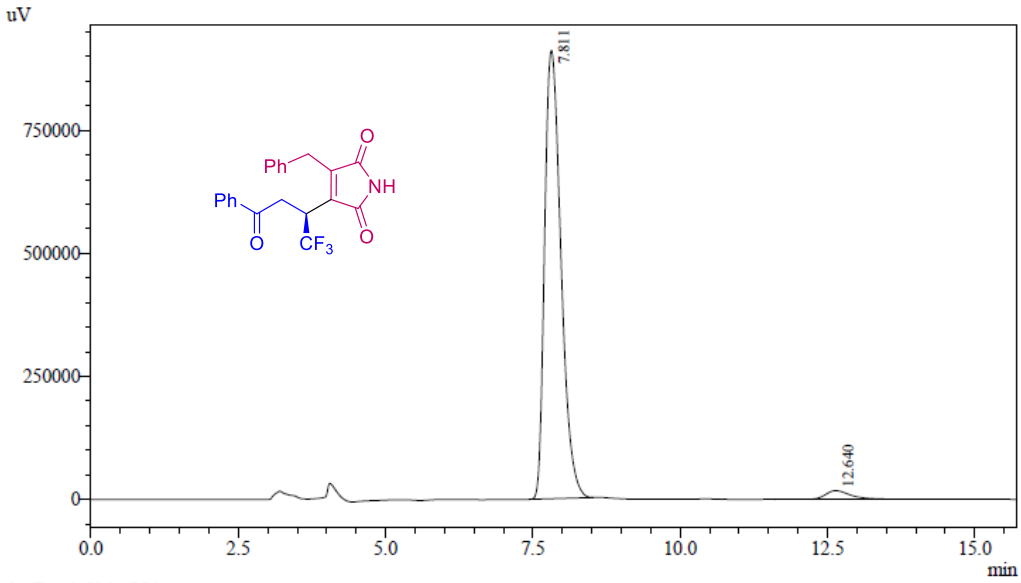
HPLC of **4**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.829	3618813	207597	49.894	62.115
2	12.585	3634210	126619	50.106	37.885
Total		7253023	334216	100.000	100.000

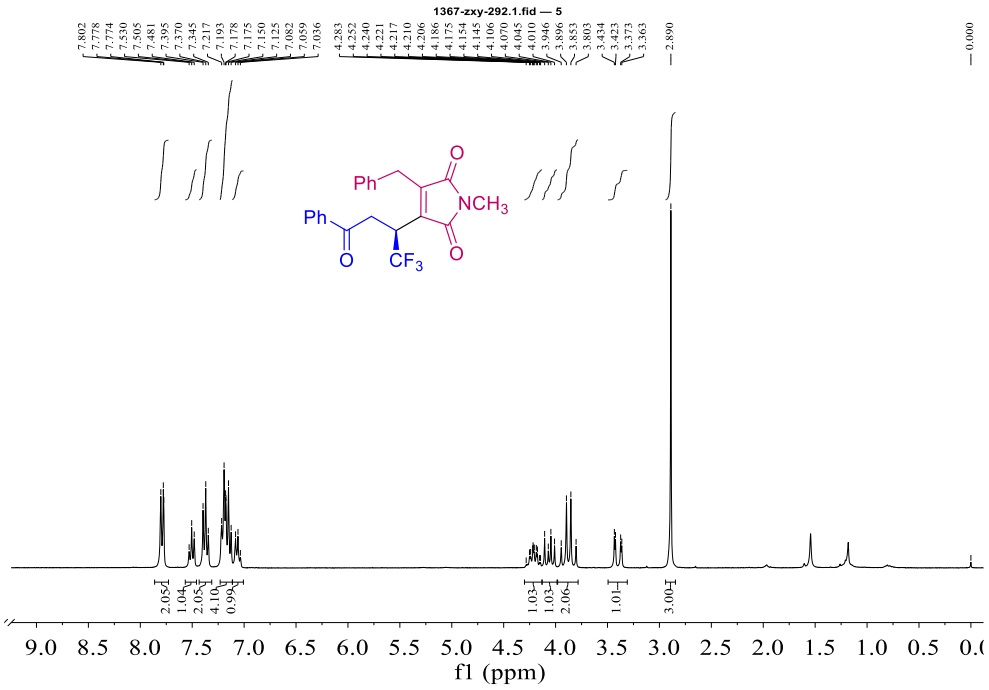


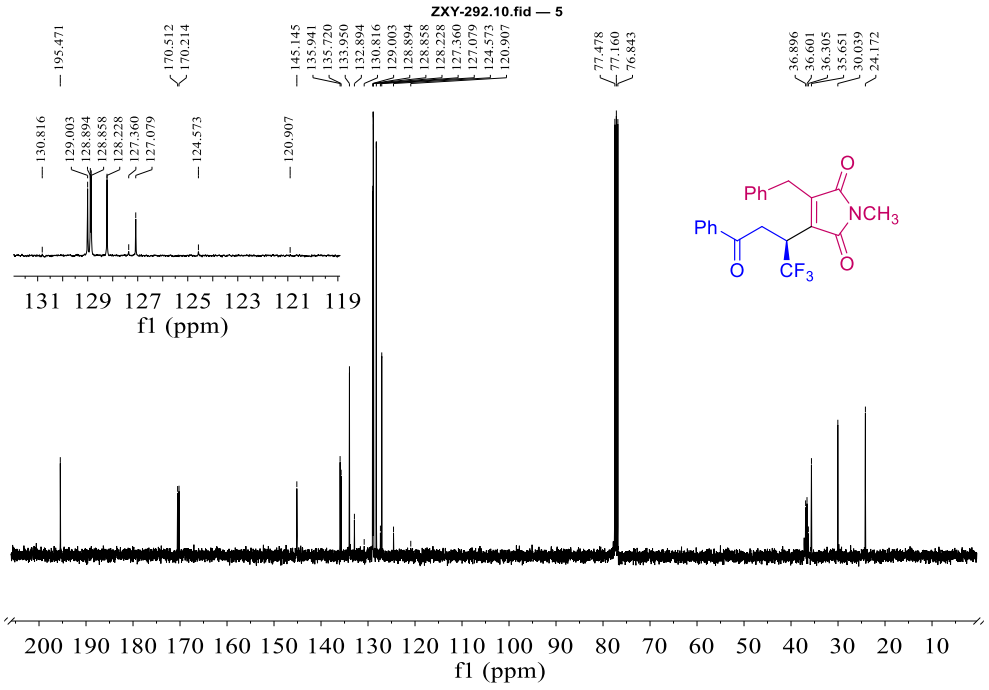
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

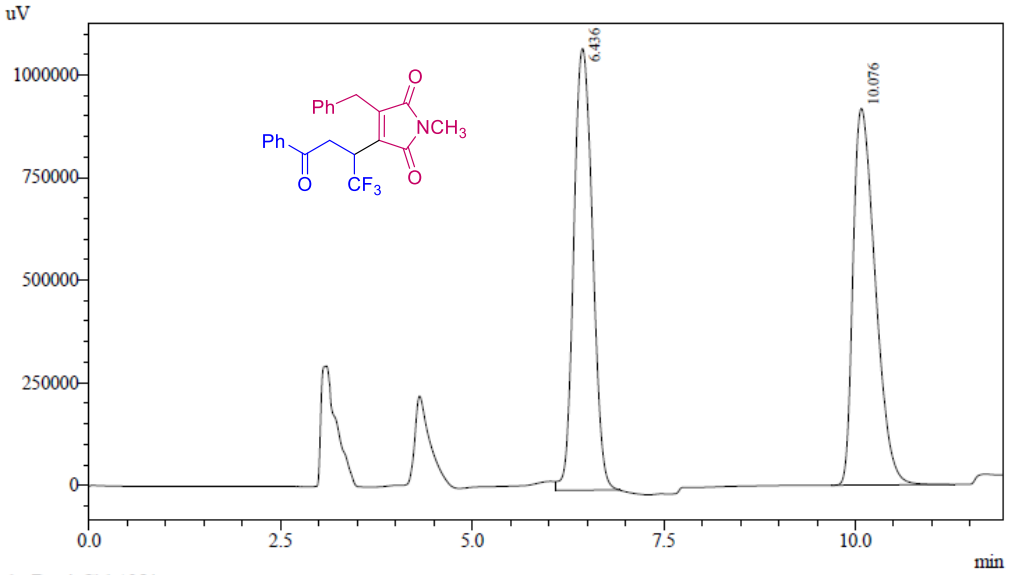
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.811	17580126	910781	97.174	98.116
2	12.640	511224	17492	2.826	1.884
Total		18091350	928273	100.000	100.000

^{1}H and ^{13}C NMR of **5**





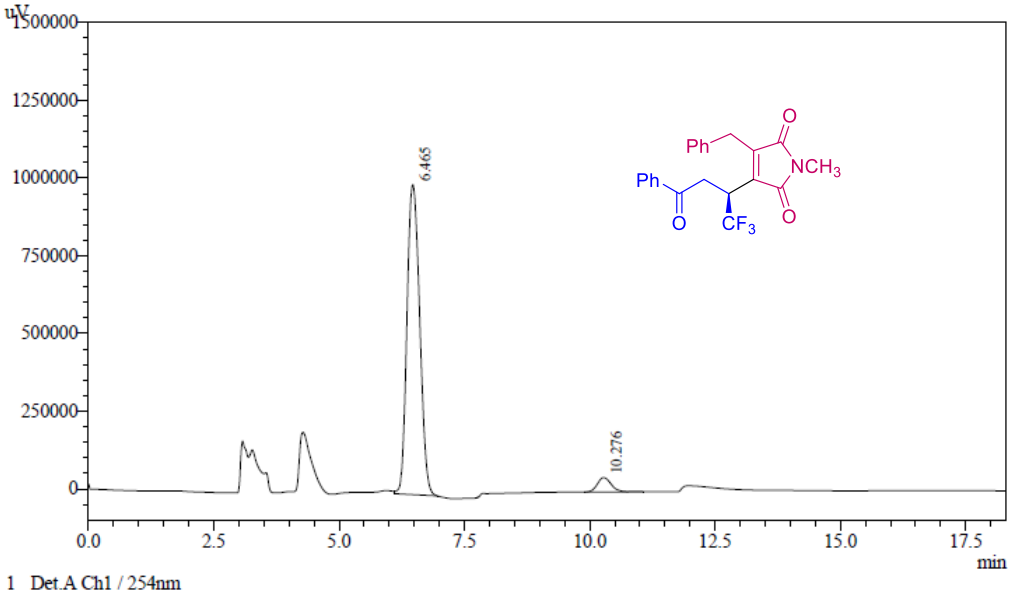
HPLC of **5**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.436	18314794	1075955	49.693	53.973
2	10.076	18541444	917535	50.307	46.027
Total		36856238	1993491	100.000	100.000

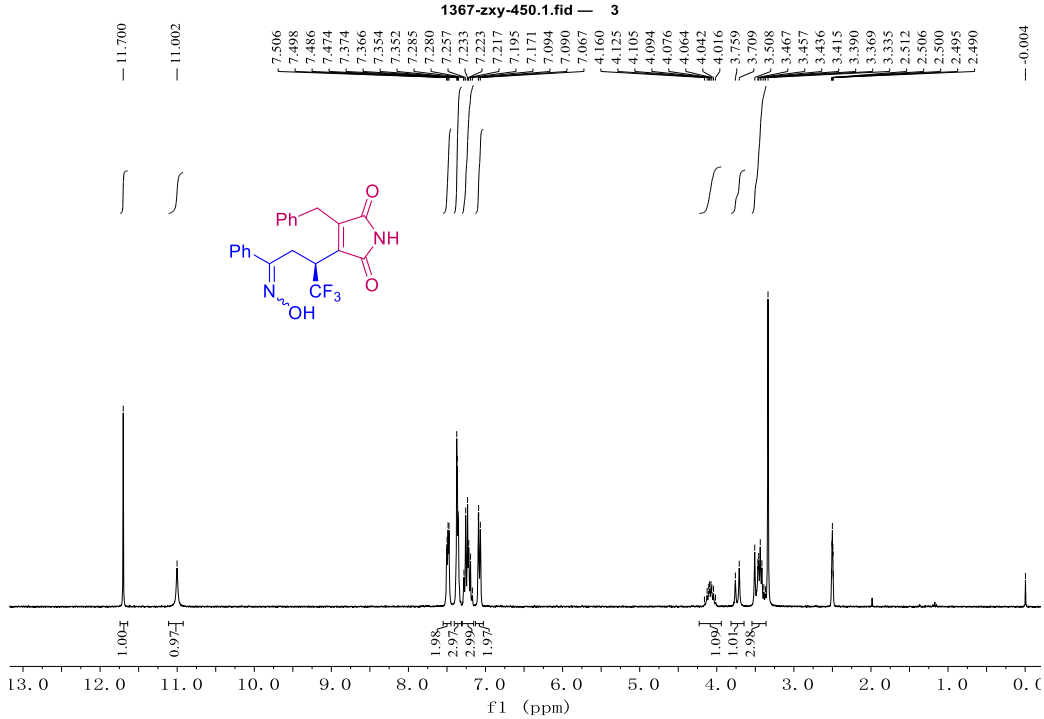


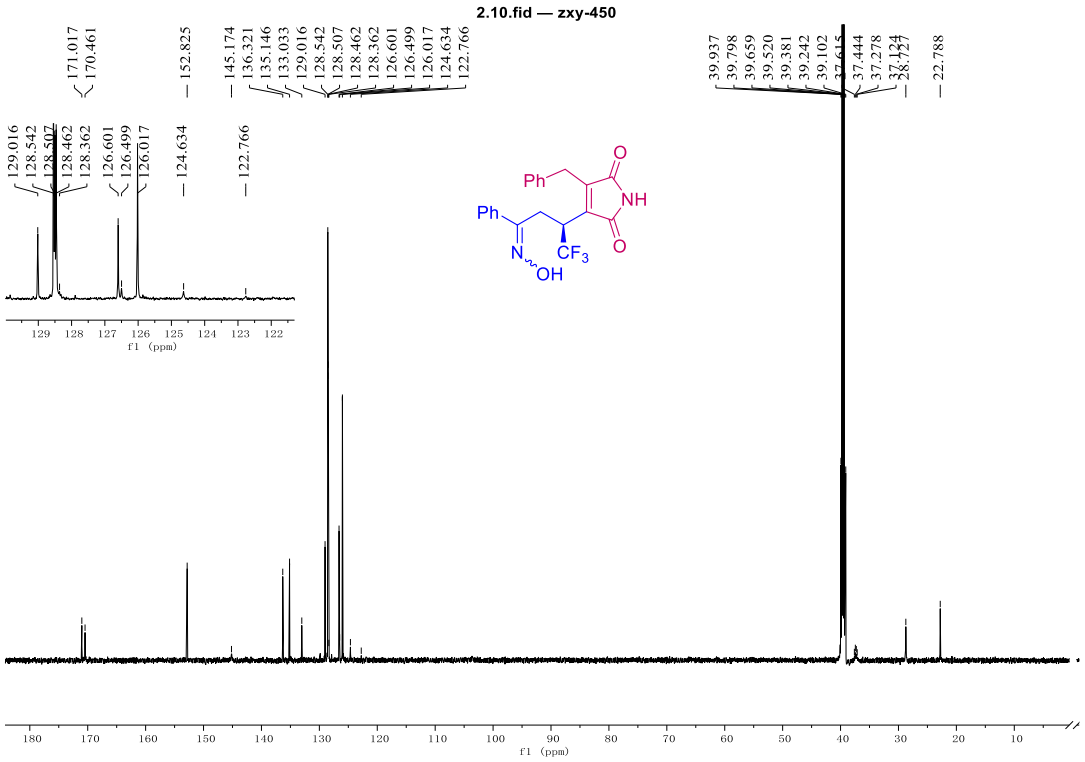
1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

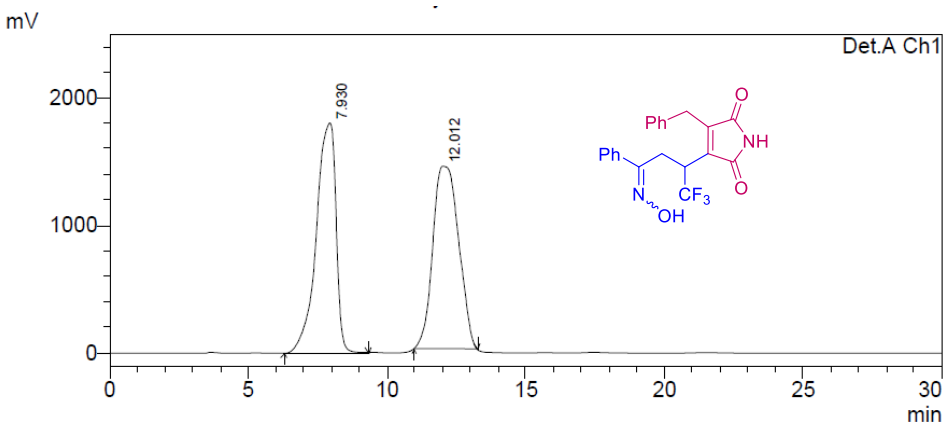
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.465	17571243	996722	95.160	95.538
2	10.276	893776	46551	4.840	4.462
Total		18465018	1043273	100.000	100.000

^{1}H and ^{13}C NMR of **6**





HPLC of **6**

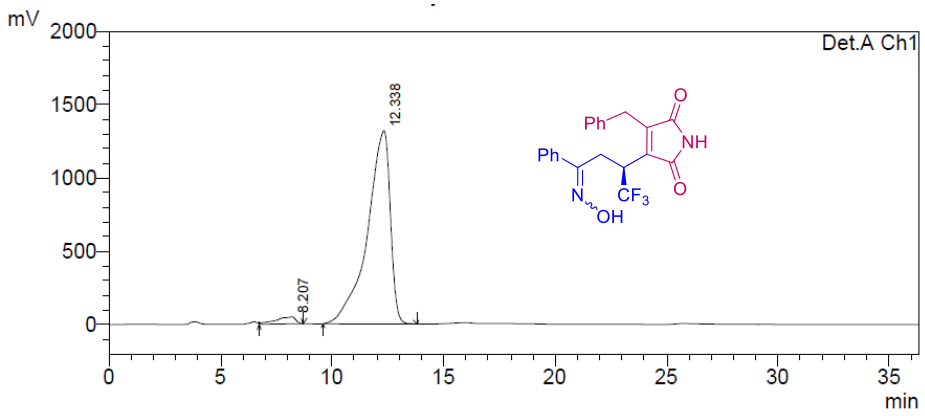


1 Det.A Ch1/254nm

PeakTable

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %
1	7.930	89444415	1802480	49.138
2	12.012	92581910	1429204	50.862
Total		182026325		100.000



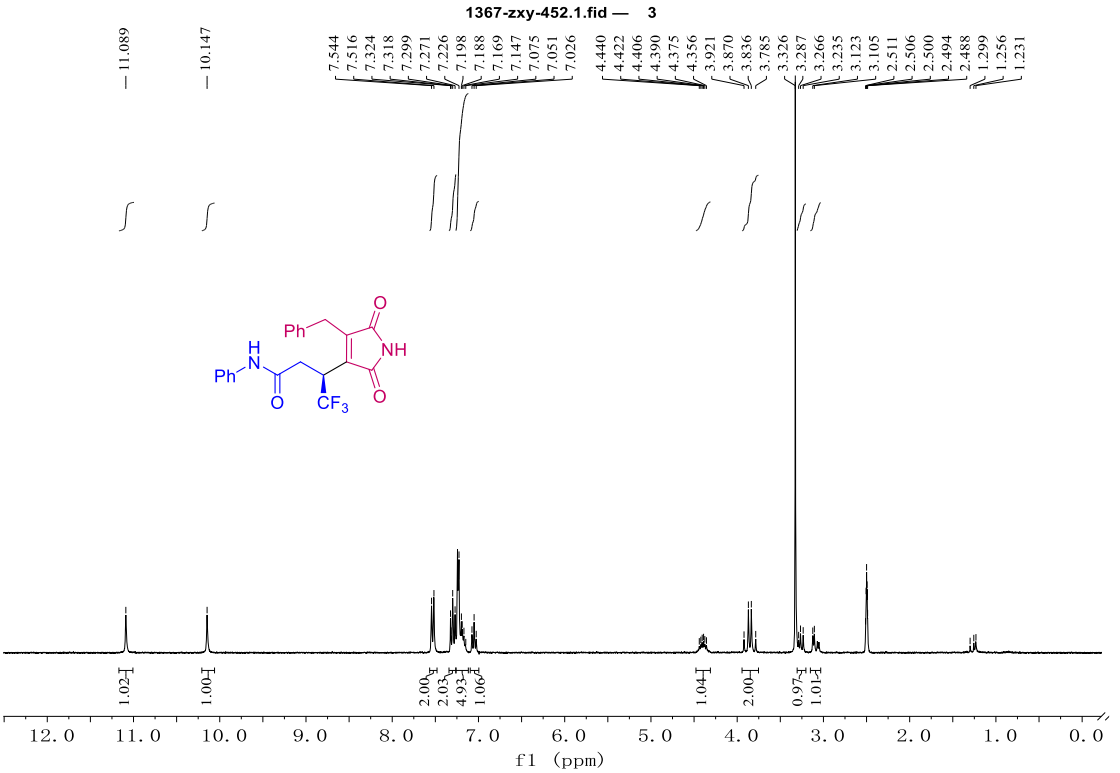
1 Det.A Ch1/254nm

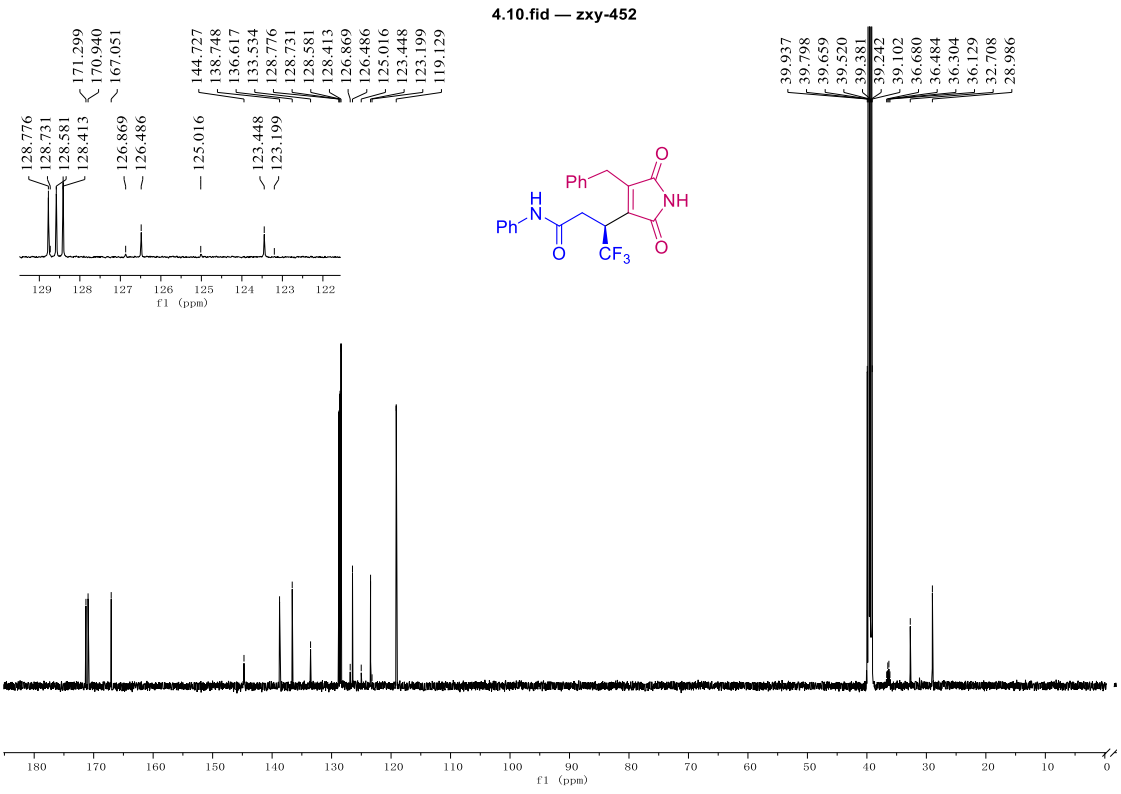
PeakTable

Detector A Ch1 254nm

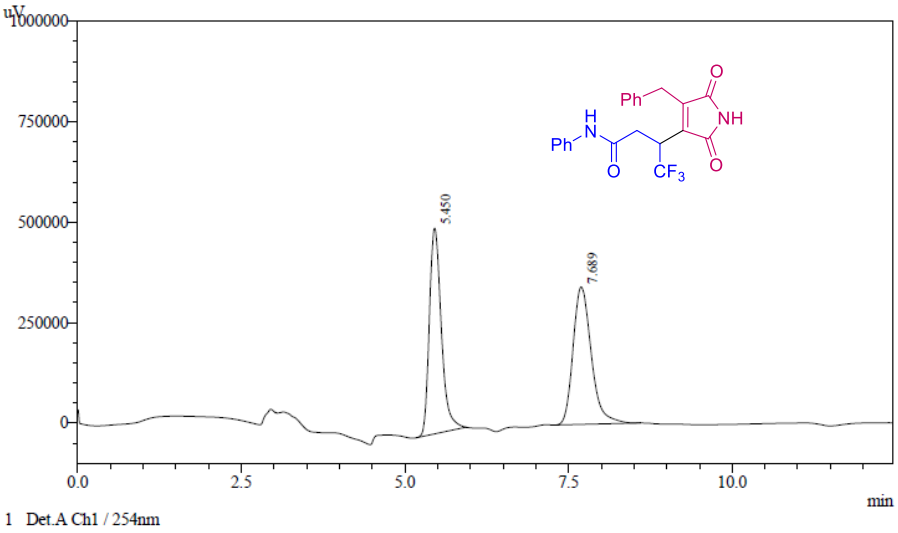
Peak#	Ret. Time	Area	Height	Area %
1	8.207	2980315	47814	3.072
2	12.338	94035152	1316825	96.928
Total		97015467		100.000

^{1}H and ^{13}C NMR of **7**





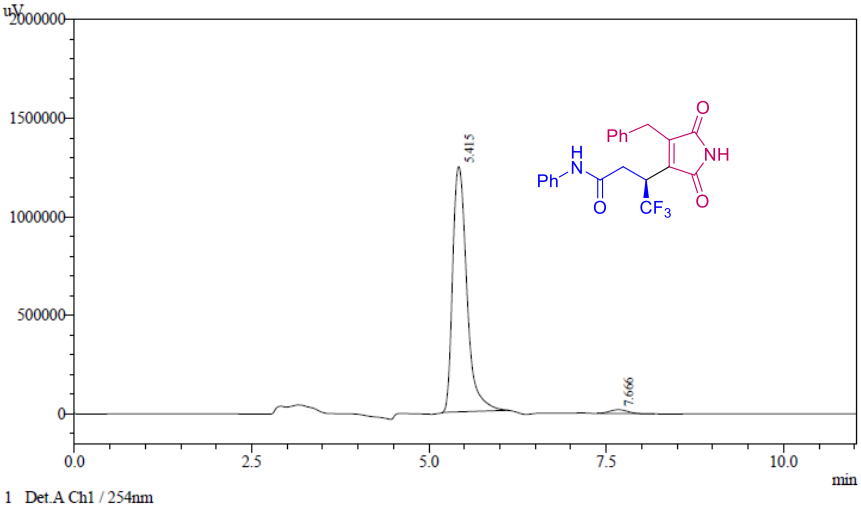
HPLC of **7**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.450	6521049	512110	49.524	59.940
2	7.689	6646477	342260	50.476	40.060
Total		13167526	854370	100.000	100.000

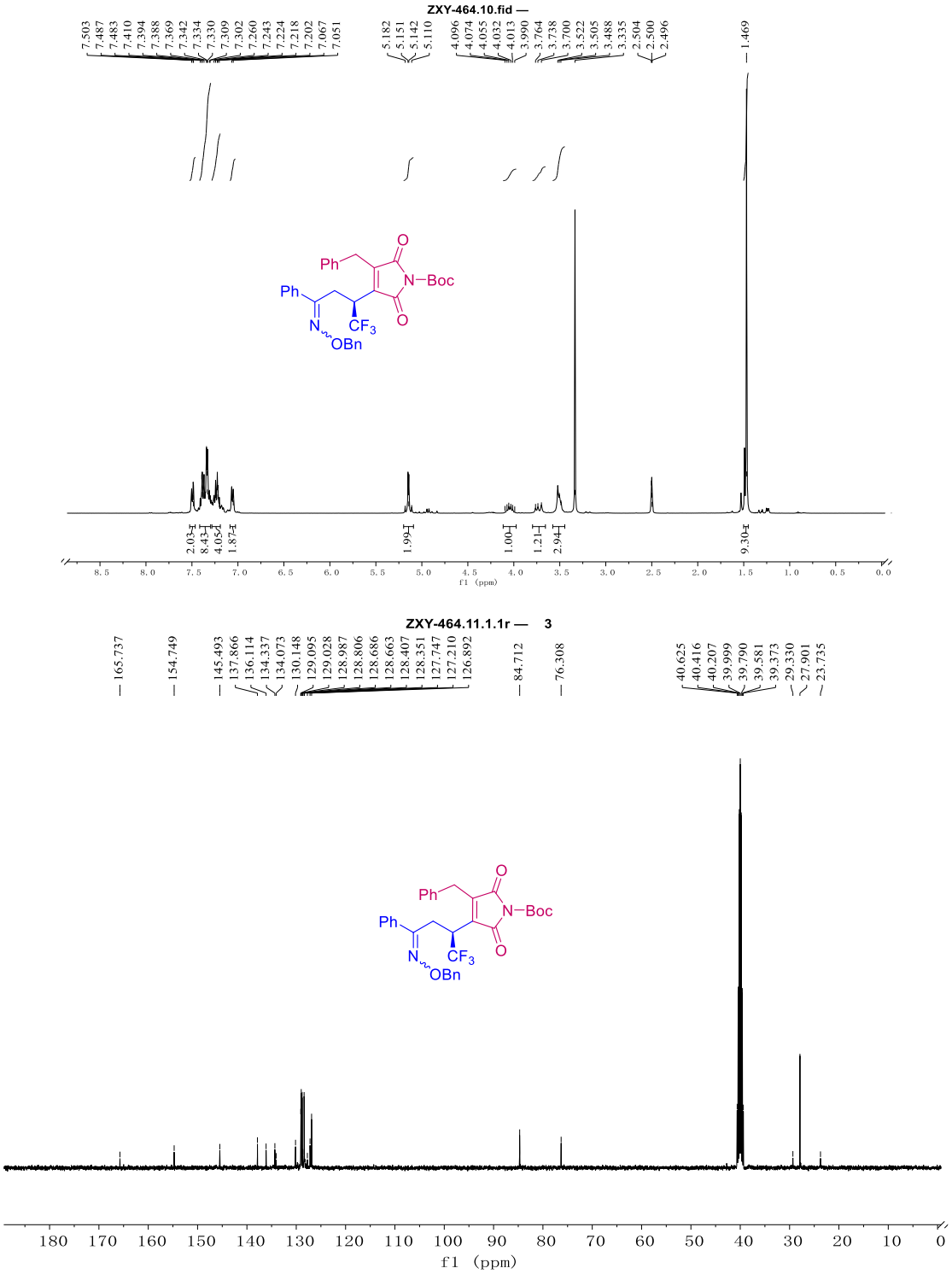


1 Det.A Ch1 / 254nm

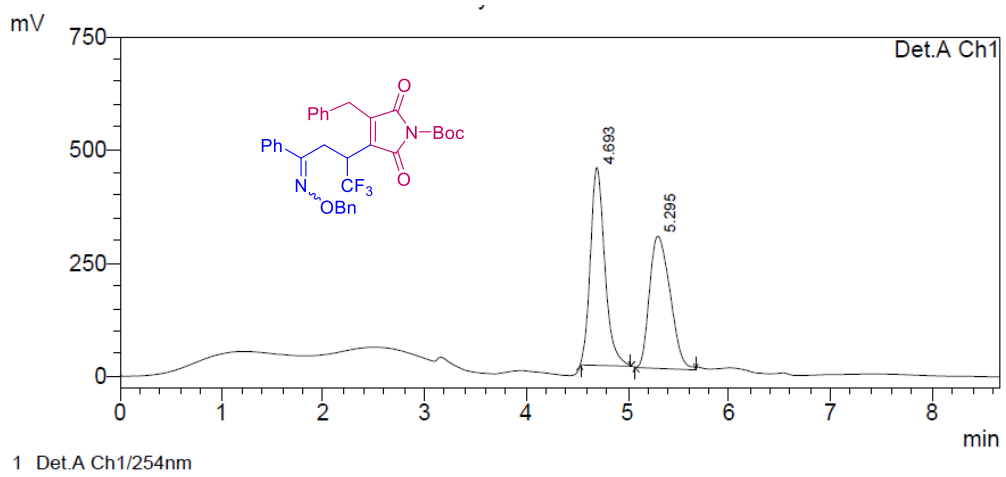
Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.415	17369466	1243505	98.079	98.455
2	7.666	340184	19520	1.921	1.545
Total		17709650	1263025	100.000	100.000

^{1}H and ^{13}C NMR of **8**



HPLC of **8**

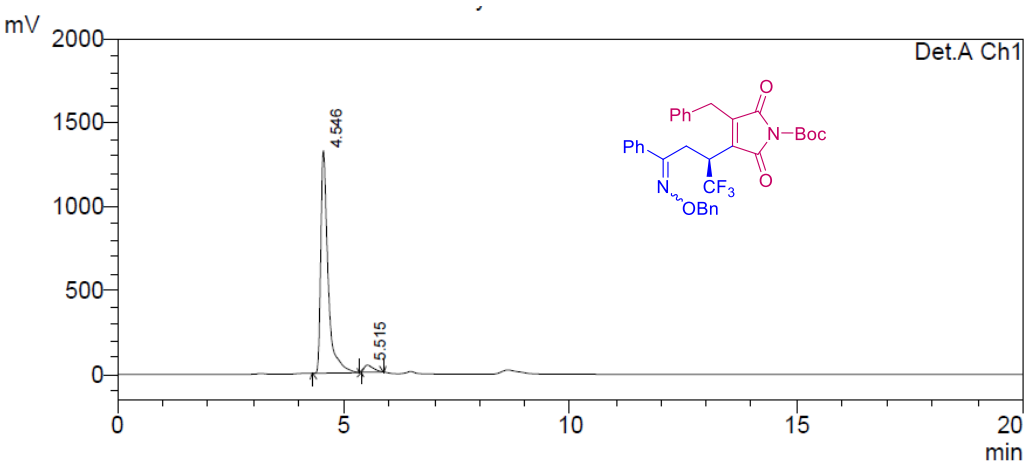


1 Det.A Ch1/254nm

PeakTable

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %
1	4.693	4305075	436635	50.986
2	5.295	4138495	292255	49.014
Total		8443570		100.000



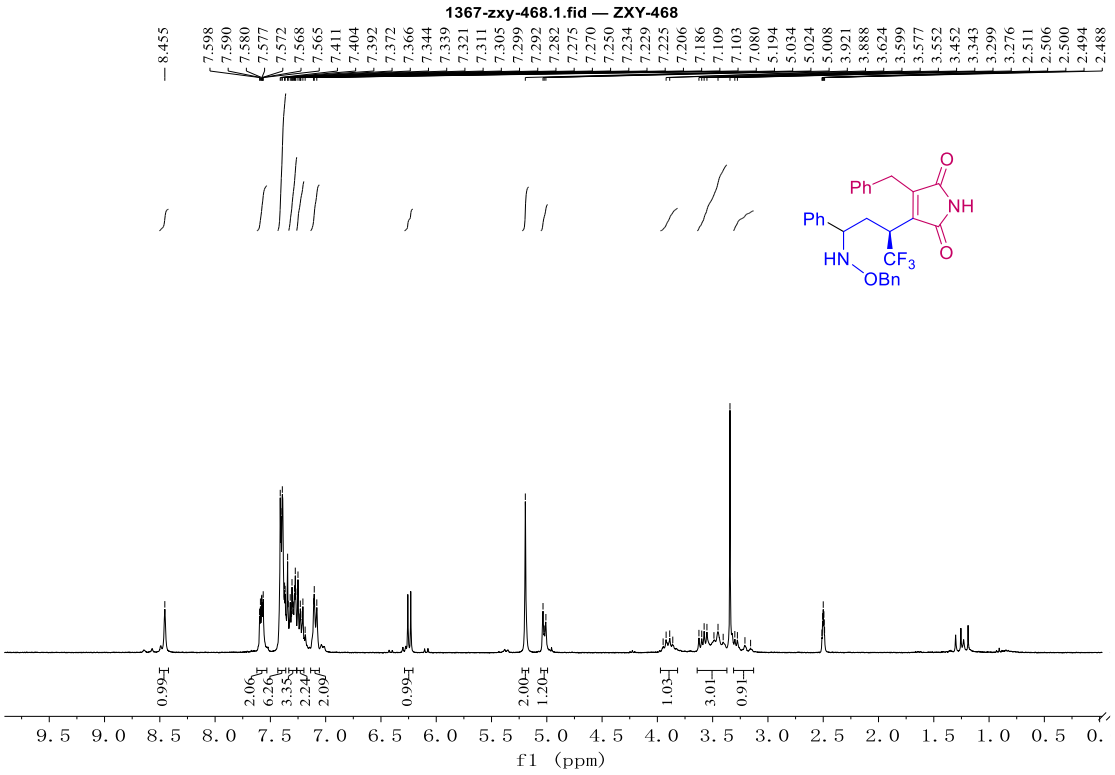
1 Det.A Ch1/254nm

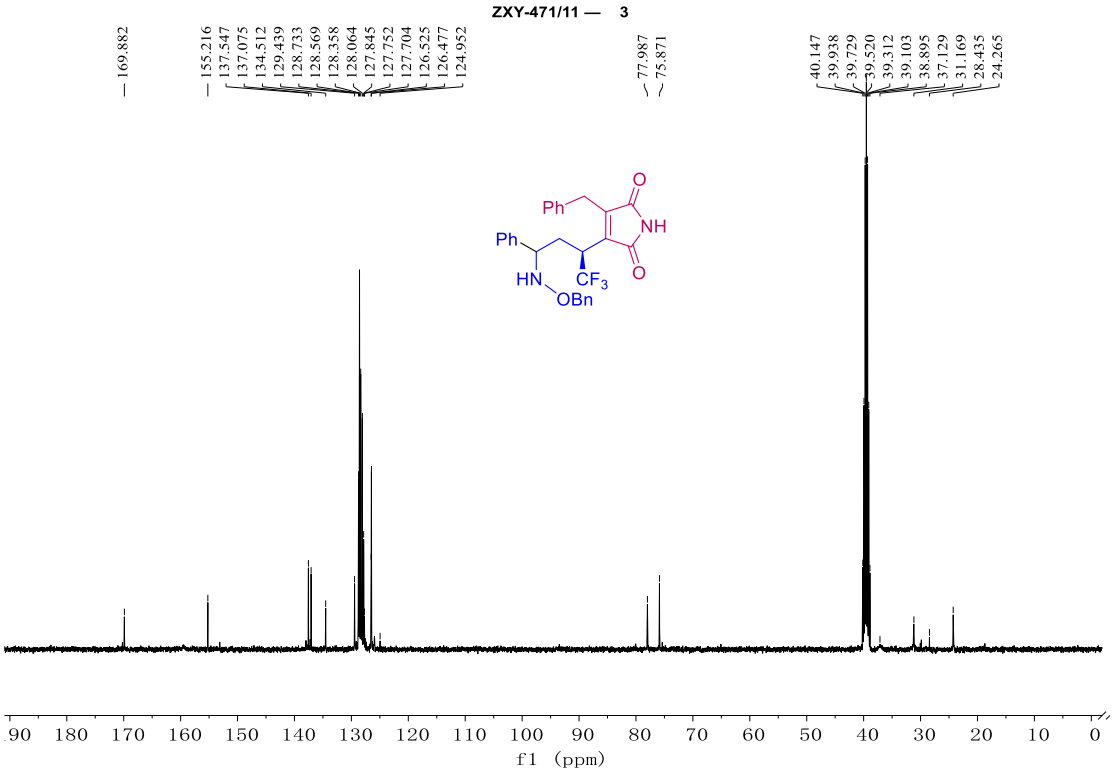
PeakTable

Detector A Ch1 254nm

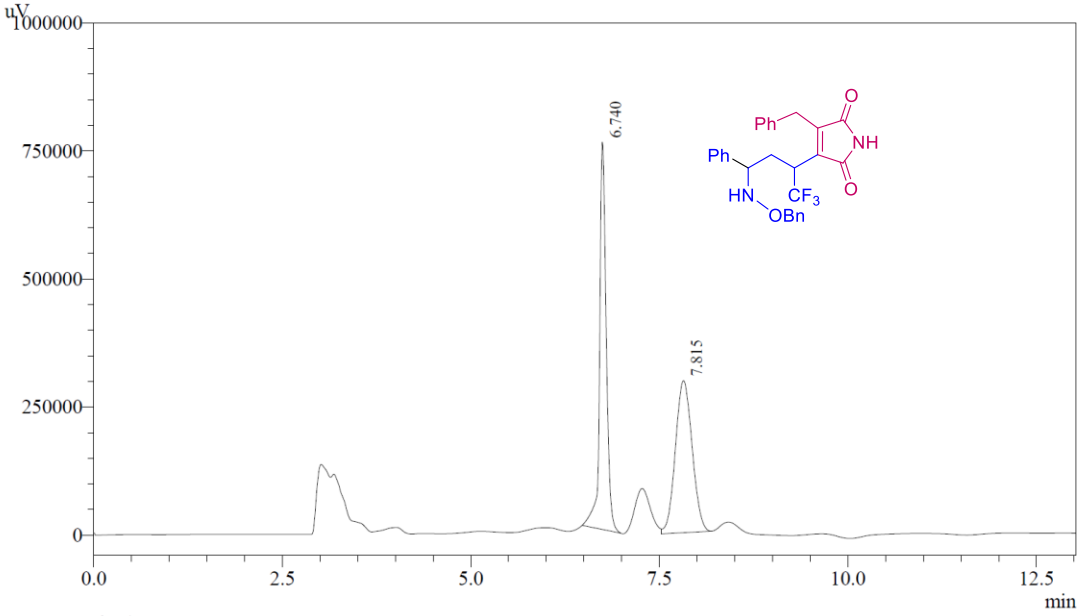
Peak#	Ret. Time	Area	Height	Area %
1	4.546	14817708	1326088	96.259
2	5.515	575875	41661	3.741
Total		15393583		100.000

^{1}H and ^{13}C NMR of **9**





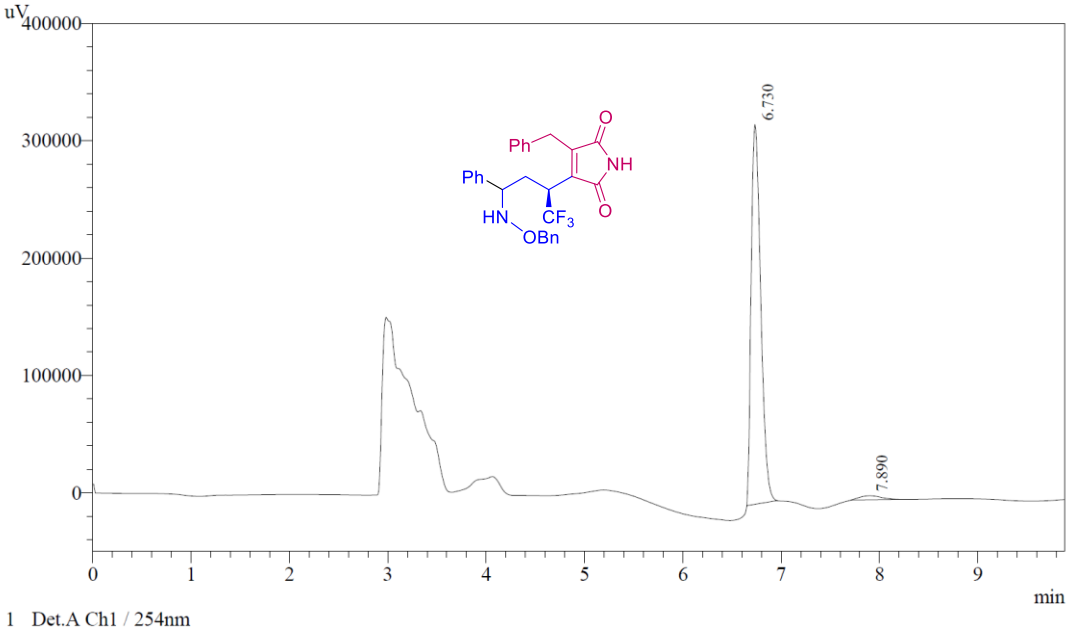
HPLC of **9**



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.740	4618663	756216	50.101	71.795
2	7.815	4599959	297086	49.899	28.205
Total		9218622	1053301	100.000	100.000



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.730	2173945	323542	97.593	98.919
2	7.890	53609	3535	2.407	1.081
Total		2227554	327078	100.000	100.000