Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

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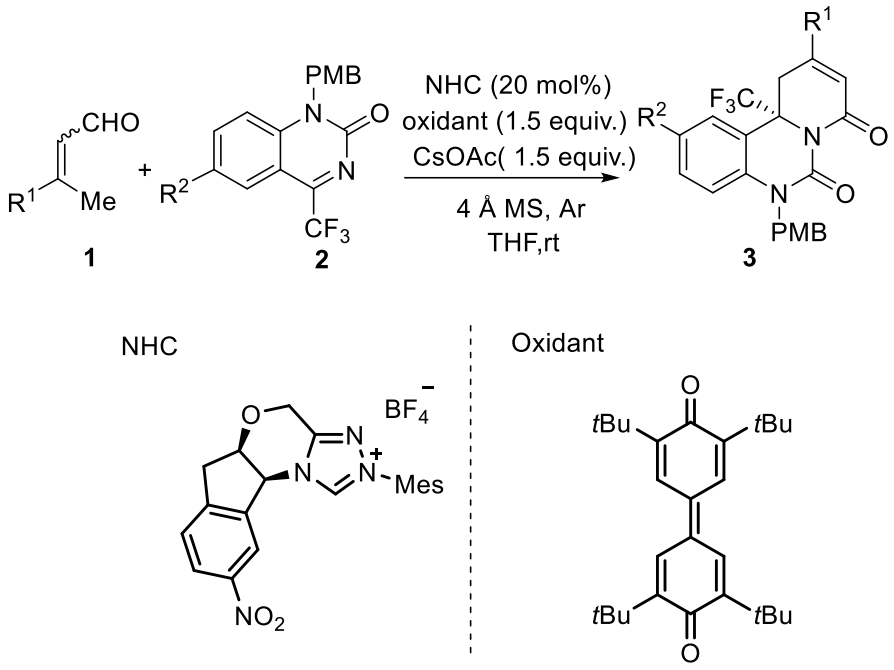
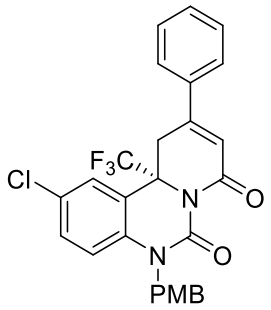
1. General information

- Chemicals were purchased from Acros or Aldrich and used without further purification unless otherwise noted. Solvents were predistilled according to standard laboratory methods.
- Chromatographic purification of the products was performed on Merck silica gel 60, particle size 0.040-0.063 mm (230-240 mesh, flash).
- Analytical TLC: SIL G-25 UV254 from Macherey&Nagel. Visualization of the developed TLC plates was performed with ultraviolet irradiation (254 nm) or by staining with basic potassium permanganate solution.
- Optical rotation values were measured on a Perkin-Elmer 241 polarimeter.
- Melting points were determined using a Büchi 510 apparatus and are uncorrected.
- Mass spectra were acquired on a Finnigan SSQ7000 (EI/CI) spectrometer and high resolution mass spectra on a Finnigan MAT 95 (EI/CI) or on a ThermoFisher Scientific LTQOrbitrap XL (ESI). All signals over 10% relative intensity are listed.
- IR spectra were taken on a Perkin-Elmer FT-IR Spectrum 100 using a Diamant/KRS5 ATR. Evaluation was done using the supplementary software. The absorption bands are given in wave numbers (cm^{-1}).
- ^{1}H- and ^{13}C- NMR spectra were recorded at ambient temperature on Varian Mercury 300, VNMRS 600 and Inova 400 instruments. The chemical shifts are reported in ppm downfield of tetramethylsilane (TMS) and referenced to residual solvent peaks resonance as internal standard. The order of citation in parentheses is a) multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd= doublet of doublet, ddd= doublet of doublet of doublet, td = triplet of doublet, m = multiplet), b) coupling constants, c) number of protons. Coupling constants (*J*) are reported in Hertz (Hz).
- Analytical HPLC was performed on a Hewlett-Packard 1100 Series instrument using chiral stationary phases (CHIRALPAK AS, CHIRALPAK AD, CHIRALPAK IA, CHIRALPAK IB, DAICELAD.M,DAICELAS.M).

2. Asymmetric synthesis of 3:



A 10 mL glass tube equipped with a stirring bar was charged with aldehyde **1** (0.4 mmol, 2.0 equiv.), oxidant (0.3 mmol, 1.5 equiv., 124 mg), the substrate **2** (0.2 mmol, 1.0 equiv.), CsOAc (0.3 mmol, 1.5 equiv., 58 mg), 4Å MS (100 mg), NHC (0.04 mmol, 20 mol%, 18.6 mg) and anhydrous THF (2.0 mL). The resulting solution was flushed with argon and stirred at room temperature for 24 h and was directly purified by flash chromatography using *n*-hexane and ethyl acetate as the eluent to provide the desired product **3**.



3a

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-phenyl-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3a)

Prepared according to the general procedure by using **1a** (58.4 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3a** as a yellow solid. (76.8 mg, 75% yield).

Melting Point: 159-161 ^{o}C.

$[\alpha]_D^{25}$= -14.8 (c = 1.0, $CHCl_2$).

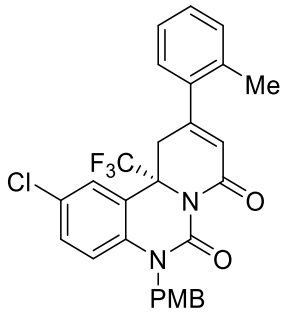
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 ^{o}C; retention time: 12.85 min (minor), 17.13 min (major), e.r.: 96.5:3.5.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.59 – 7.55 (m, 2H), 7.52 – 7.47 (m, 3H), 7.38 (d, *J* = 2.4 Hz, 1H), 7.30 (dd, *J* = 9.0, 2.4 Hz, 1H), 7.26 (d, *J* = 9.0 Hz, 2H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.86 (d, *J* = 9.0 Hz, 2H), 6.51 (d, *J* = 3.0 Hz, 1H), 5.33 (d, *J* = 10.2 Hz, 1H), 5.00 (d, *J* = 10.2 Hz, 1H), 3.82 (d, *J* = 18.0 Hz, 1H), 3.78 (s, 3H), 3.42 (dd, *J* = 18.0, 1.8 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.1, 159.1, 148.3, 145.6, 136.5, 135.7, 130.9, 130.7, 129.2 (2C), 128.8, 128.3 (2C), 127.6, 126.1, 126.0 (2C), 124.1, 122.7, 119.7 (q, *J* = 3.0 Hz), 117.0, 114.3 (2C), 62.4 (q, *J* = 28.5 Hz), 55.3, 47.8, 32.9 ppm

IR (ATR): 2962, 2263, 1729, 1597, 1505, 1434, 1371, 1310, 1203, 1112, 1024, 911, 858, 809, 731 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{27}H_{20}N_2O_3F_3ClNa^+$: 535.1007; found 535.0990.



3b

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(o-tolyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3b)

Prepared according to the general procedure by using **1b** (64.0 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3b** as a yellow wax. (63.1 mg, 60% yield).

$[\alpha]_D^{25}$= -19.1 (c = 1.0, $CHCl_2$).

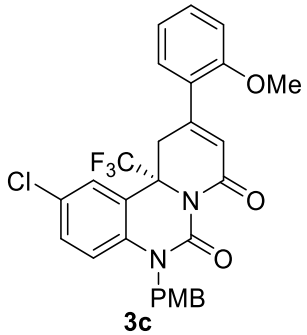
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 10.91 min (minor), 11.86 min (major), e.r.: 96.5:3.5.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.33 – 7.25 (m, 7H), 7.18 (d, *J* = 7.2 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 1H), 6.86 (d, *J* = 8.4 Hz, 2H), 6.18 (d, *J* = 2.4 Hz, 1H), 5.34 (d, *J* = 15.6 Hz, 1H), 4.99 (d, *J* = 15.6 Hz, 1H), 3.78 (s, 3H), 3.56 (d, *J* = 18.0 Hz, 1H), 3.44 (d, *J* = 18.0 Hz, 1H), 2.39 (s, 3H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 161.8, 159.1, 148.5, 147.8, 137.1, 136.4, 134.8, 131.2, 130.9, 129.4, 128.8, 128.2 (2C), 127.6, 127.3, 126.4, 126.2, 124.2, 123.2 (q, *J* = 4.5 Hz), 122.7, 116.7, 114.3 (2C), 62.4 (q, *J* = 28.5 Hz), 55.3, 47.8, 35.5, 20.0 ppm

IR (ATR): 2927, 2254, 1733, 1608, 1500, 1437, 1368, 1253, 1176, 1026, 908, 818, 730 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{28}H_{22}N_2O_3F_3ClNa^+$: 549.1163; found 549.1162.



3c

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(2-methoxyphenyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3c)

Prepared according to the general procedure by using **1c** (70.4 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3c** as a yellow solid. (80.2 mg, 74% yield).

Melting Point: 144-146 °C.

$[\alpha]_D^{25}$= -10.6 (c = 1.0, $CHCl_2$).

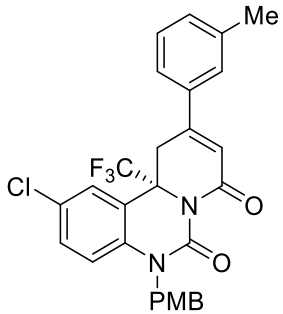
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 14.52 min (minor), 16.32 min (major), e.r.: 87:13.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.45 – 7.40 (m, 1H), 7.30 – 7.24 (m, 5H), 7.04 – 6.92 (m, 3H), 6.86 (dd, *J* = 8.4 1.8 Hz, 2H), 6.29 (s, 1H), 5.33 (d, *J* = 16.2 Hz, 1H), 4.98 (d, *J* = 16.2 Hz, 1H), 3.97 (d, *J* = 18.0 Hz, 1H), 3.90 (s, 3H), 3.77 (s, 3H), 3.36 (d, *J* = 18.0 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.2, 159.0, 157.0, 148.6, 147.4, 136.6, 131.5, 130.7, 129.3, 128.6, 128.2 (2C), 127.7, 126.3, 126.1, 124.2, 123.1, 122.1, 121.2, 116.9, 114.3 (2C), 111.3, 62.5 (*J* = 28.5 Hz), 55.6, 55.3, 47.8, 33.8 ppm

IR (ATR): 2923, 2291, 1725, 1651, 1586, 1500, 1367, 1301, 1252, 1171, 1020, 919, 821, 749 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{28}H_{22}N_2O_4F_3ClNa^+$: 565.1112; found 565.1098.



3d

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(m-tolyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3d)

Prepared according to the general procedure by using **1d** (64.0 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3d** as a yellow solid. (89.4 mg, 85% yield).

Melting Point: 153-155 °C.

[α]$_D^{25}$= -23.2 (c = 1.0, $CHCl_2$).

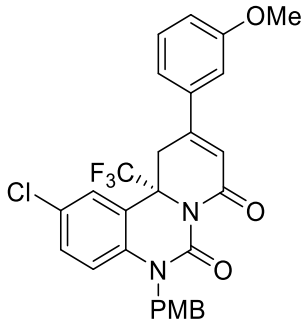
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 13.11 min (minor), 15.76 min (major), e.r.: 98.5:1.5.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.40 –7.35 (m, 4H), 7.33 – 7.23 (m, 4H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.86 (d, *J* = 9.0 Hz, 2H), 6.49 (d, *J* = 2.4 Hz, 1H), 5.32 (d, *J* = 16.2 Hz, 1H), 5.00 (d, *J* = 16.2 Hz, 1H), 3.81 (d, *J* = 17.4 Hz, 1H), 3.77 (s, 3H), 3.40 (d, *J* = 17.4 Hz, 1H), 2.44 (s, 3H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.2, 159.1, 148.5, 145.8, 139.0, 136.5, 135.7, 131.5, 130.9, 129.1, 128.7, 128.3 (2C), 127.6, 126.6, 126.2, 126.0, 123.2, 122.7, 119.5, 117.0, 114.3 (2C), 62.4 (q, *J* = 30.0 Hz), 55.3, 47.8, 32.8, 21.5 ppm

IR (ATR): 2924, 2292, 1727, 1651, 1595, 1502, 1430, 1367, 1301, 1254, 1173, 1028, 910, 865, 790, 740, 690 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{28}H_{22}N_2O_3F_3ClNa^+$: 549.1163; found 549.1168.



3e

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(3-methoxyphenyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3e)

Prepared according to the general procedure by using **1e** (70.4 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3e** as a yellow solid. (82.4 mg, 76% yield).

Melting Point: 180-182 °C.

[α]$_D^{25}$= -14.1 (c = 1.0, $CHCl_2$).

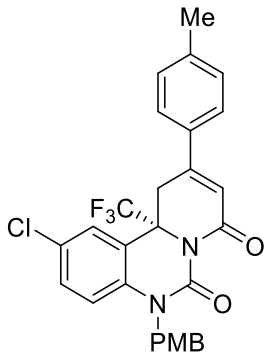
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 14.98 min (minor), 18.65 min (major), e.r.: 95.5:4.5

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.43 – 7.36 (m, 2H), 7.31 – 7.25 (m, 3H), 7.16 – 7.13 (m, 1H), 7.08 – 7.01 (m, 2H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.86 (d, *J* = 8.4 Hz, 2H), 6.50 (d, *J* = 2.4 Hz, 1H), 5.31 (d, *J* = 16.2 Hz, 1H), 4.99 (d, *J* = 16.2 Hz, 1H), 3.87 (s, 3H), 3.82 – 3.76 (m, 4H), 3.40 (d, *J* = 18.0 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.1, 160.1, 159.1, 148.4, 145.5, 137.1, 136.5, 130.9, 130.3, 128.8, 128.3 (2C), 127.6, 126.1, 126.0, 124.1, 122.7, 119.8, 118.4, 117.0, 115.9, 114.3 (2C), 111.9, 62.4 (q, *J* = 28.5 Hz), 55.5, 47.8, 32.9 ppm

IR (ATR): 2933, 2290, 1728, 1656, 1594, 1501, 1431, 1368, 1302, 1256, 1178, 1027, 905, 846, 796, 741, 691 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{28}H_{22}N_2O_4F_3ClNa^+$: 565.1112; found 565.1102.



3f

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(p-tolyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (5f)

Prepared according to the general procedure by using **1f** (64.0 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3f** as a yellow solid. (68.4 mg, 65% yield).

Melting Point: 188-190 ^{o}C.

$[\alpha]_D^{25}$= -29.0 (c = 1.0, $CHCl_2$).

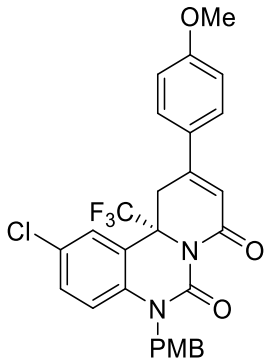
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 ^{o}C; retention time: 13.97 min (minor), 20.01 min (major), e.r.: 97:3.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.48 (d, *J* = 8.4 Hz, 2H), 7.41 – 7.37 (m, 1H), 7.32 – 7.23 (m, 5H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.85 (d, *J* = 8.4 Hz, 2H), 6.49 (d, *J* = 2.4 Hz, 1H), 5.31 (d, *J* = 16.2 Hz, 1H), 4.99 (d, *J* = 16.2 Hz, 1H), 3.85 – 3.74 (m, 4H), 3.39 (d, *J* = 18.0 Hz, 1H), 2.41 (s, 3H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.3, 159.1, 148.5, 145.5, 141.3, 136.5, 132.7, 130.9, 129.9 (2C), 128.7, 128.3 (2C), 127.6, 126.2, 125.9 (2C), 124.1, 122.8, 118.7, 117.0, 114.3 (2C), 62.4 (q, *J* = 28.5 Hz), 55.3, 47.7, 32.7, 21.4 ppm

IR (ATR): 2945, 2259, 1731, 1653, 1595, 1506, 1426, 1368, 1184, 1023, 914, 814, 735 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{28}H_{22}N_2O_3F_3ClNa^+$: 549.1163; found 549.1163.



3g

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(4-methoxyphenyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3g)

Prepared according to the general procedure by using **1g** (70.4 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3g** as a

yellow solid. (69.4 mg, 64% yield).

Melting Point: 230-232 °C.

$[\alpha]_D^{25}$= -18.7 (c = 1.0, $CHCl_2$).

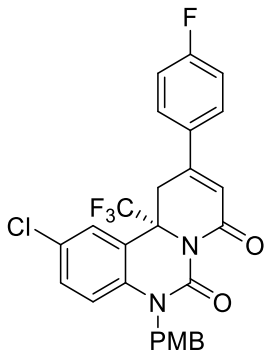
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 17.76 min (minor), 24.45 min (major), e.r.: 96:4.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.8 Hz, 2H), 7.41 – 7.36 (m, 1H), 7.31 – 7.23 (m, 3H), 6.97 (dd, *J* = 25.5, 8.8 Hz, 3H), 6.85 (d, *J* = 8.6 Hz, 2H), 6.44 (d, *J* = 2.4 Hz, 1H), 5.30 (d, *J* = 16.1 Hz, 1H), 4.99 (d, *J* = 16.1 Hz, 1H), 3.92 – 3.73 (m, 7H), 3.36 (d, *J* = 17.6 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.4, 161.8, 159.0, 148.5, 145.1, 136.5, 130.9, 128.7, 128.3 (2C), 127.7, 127.6, 127.6 (2C), 126.1, 124.1, 122.8, 117.5, 117.0, 114.6 (2C), 114.3 (2C), 62.4 (q, *J* = 28.5 Hz), 55.5, 55.3, 47.7, 32.6 ppm

IR (ATR): 2927, 2288, 1732, 1669, 1599, 1506, 1425, 1370, 1227, 1173, 1067, 1018, 884, 816, 738 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{28}H_{22}N_2O_4F_3ClNa^+$: 565.1112; found 565.1087.



3h

(*R*)-2-Chloro-10-(4-fluorophenyl)-5-(4-methoxybenzyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3h)

Prepared according to the general procedure by using **1h** (65.6 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3h** as a yellow wax. (71.0 mg, 67% yield).

$[\alpha]_D^{25}$= -12.9 (c = 1.0, $CHCl_2$).

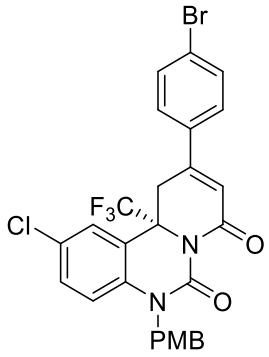
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 11.70 min (minor), 16.05 min (major), e.r.: 94.5:5.5.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 – 7.52 (m, 2H), 7.37 – 7.13 (m, 6H), 6.95 (d, *J* = 8.8 Hz, 1H), 6.84 (d, *J* = 8.8 Hz, 2H), 6.45 (d, *J* = 2.4 Hz, 1H), 5.30 (d, *J* = 16.0 Hz, 1H), 4.97 (d, *J* = 16.0 Hz, 1H), 3.83 – 3.67 (m, 4H), 3.39 (d, *J* = 18.4 Hz, 1H) ppm

^{13}C NMR (100 MHz, $CDCl_3$) δ 161.9, 159.1, 148.3, 144.4, 136.5, 131.8, 131.0, 128.8, 128.2 (2C), 128.1, 128.0, 127.5, 126.1, 122.5, 124.2, 123.6, 119.5, 117.0, 116.5, 116.3, 114.3 (2C), 62.4 (q, *J* = 28.0 Hz), 55.2, 47.8, 32.9 ppm

IR (ATR): 2923, 2257, 1721, 1600, 1507, 1427, 1373, 1215, 1171, 1068, 1022, 908, 828, 730 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{27}H_{19}N_2O_3F_4ClNa^+$: 553.0913; found 553.0887.



3i

(*R*)-10-(4-Bromophenyl)-2-chloro-5-(4-methoxybenzyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3i)

Prepared according to the general procedure by using **1i** (89.2 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3i** as a yellow solid. (76.7 mg, 65% yield).

Melting Point: 212-214 ^{o}C.

$[\alpha]_D^{25}$= -28.5 (c = 1.0, $CHCl_2$).

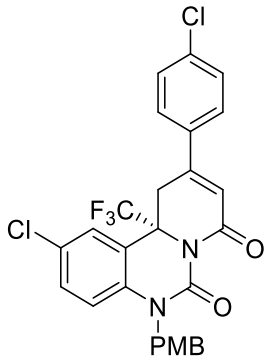
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 ^{o}C; retention time: 12.91 min (minor), 17.88 min (major), e.r.: 97:3.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.4 Hz, 2H), 7.44 (d, *J* = 8.4 Hz, 2H), 7.37 (d, *J* = 2.4 Hz, 1H), 7.30 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.27 – 7.23 (m, 2H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.85 (d, *J* = 9.0 Hz, 2H), 6.49 (d, *J* = 3.0 Hz, 1H), 5.31 (d, *J* = 16.2 Hz, 1H), 4.99 (d, *J* = 16.2 Hz, 1H), 3.76 - 3.78(m, 4H), 3.41 (d, *J* = 17.4 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 161.8, 159.1, 148.3, 144.4, 136.5, 134.5, 132.5 (2C), 131.0, 128.8, 128.2 (2C), 127.5 (2C), 126.1, 126.0, 125.3, 124.0, 122.5, 120.0, 117.1, 114.3 (2C), 62.4 (q, *J* = 28.5 Hz), 55.3, 47.8, 32.6 ppm

IR (ATR): 2933, 2291, 1730, 1591, 1502, 1425, 1369, 1178, 1072, 1011, 819, 743, 693 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{27}H_{19}N_2O_3F_3ClBrNa^+$: 613.0112; found 613.0095.



3j

(*R*)-2-Chloro-10-(4-chlorophenyl)-5-(4-methoxybenzyl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3j)

Prepared according to the general procedure by using **1j** (60.8 mg, 0.4 mmol) , **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The

chromatographic purification using *n*-hexane and ethyl acetate (4 ; 1) as the eluent afforded **3j** as a yellow solid. (79.7 mg, 73% yield).

Melting Point: 193-195 °C.

$[\alpha]_D^{25}$= -28.0 (c = 1.0, $CHCl_2$).

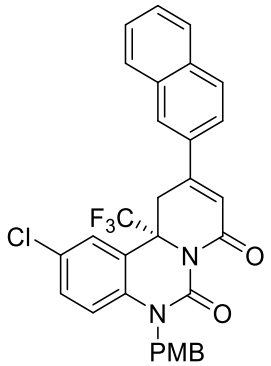
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 12.16 min (minor), 16.88 min (major), e.r.: 96.5:3.5

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 – 7.44 (m, 4H), 7.36 (s, 1H), 7.32 – 7.22 (m, 3H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 2H), 6.49 (s, 1H), 5.32 (d, *J* = 16.2 Hz, 1H), 4.99 (d, *J* = 16.2 Hz, 1H), 3.82 – 3.71 (m, 4H), 3.41 (d, *J* = 17.4 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 161.8, 159.1, 148.3, 144.3, 137.0, 136.5, 134.1, 131.0, 129.5 (2C), 128.8, 128.2 (2C), 127.5, 127.3 (2C), 126.1, 124.0, 122.5, 120.0, 117.1, 114.3 (2C), 62.4 (q, *J* = 28.5 Hz), 55.3, 47.8, 32.7 ppm

IR (ATR): 2926, 2095, 1727, 1603, 1501, 1428, 1375, 1184, 1097, 1019, 817, 747 cm^{-1}.

MS (ESI): m/z = 569.1 $[M+Na]^+$.



3k

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(naphthalen-2-yl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3k)

Prepared according to the general procedure by using **1k** (78.4 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3k** as a yellow solid. (78.7 mg, 70% yield).

Melting Point: 209-211 °C.

$[\alpha]_D^{25}$= -65.0 (c = 1.0, $CHCl_2$).

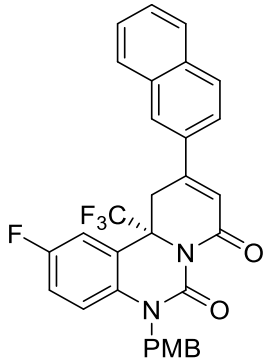
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 15.78 min (minor), 20.65 min (major), e.r.: 98.5:1.5.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.97 – 7.90 (m, 2H), 7.89 – 7.85 (m, 1H), 7.66 (dd, *J* = 9.0, 1.2 Hz, 1H), 7.59 – 7.55 (m, 2H), 7.47 – 7.45 (d, *J* = 1.2 Hz, 1H), 7.33 – 7.26 (m, 3H), 6.98 (d, *J* = 8.4 Hz, 1H), 6.87 (d, *J* = 8.4 Hz, 2H), 6.65 (d, *J* = 2.4 Hz, 1H), 5.33 (d, *J* = 16.2 Hz, 1H), 5.01 (d, *J* = 16.2 Hz, 1H), 3.96 (d, *J* = 18.0 Hz, 1H), 3.78 (s, 3H), 3.47 (d, *J* = 18.0 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.1, 159.1, 148.5, 145.3, 136.5, 134.2, 133.0, 132.7, 131.0, 129.2, 128.8, 128.7, 128.3 (2C), 127.8, 127.7, 127.6, 127.2, 126.2, 126.1, 124.1, 122.9, 122.7, 119.8, 117.0, 114.3 (2C), 62.5 (q, *J* = 28.5 Hz), 55.3, 47.8, 32.6 ppm

IR (ATR): 2944, 2288, 1743, 1602, 1504, 1217, 1023, 910, 861, 810, 736 cm^{-1}.

HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{31}H_{22}N_2O_3F_3ClNa^+$: 585.1163; found 585.1148.



3l

(*R*)-2-Fluoro-5-(4-methoxybenzyl)-10-(naphthalen-2-yl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3l)

Prepared according to the general procedure by using **1l** (78.4 mg, 0.4 mmol), **2b** (70.4 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 ; 1) as the eluent afforded **3l** as a yellow solid. (76.4 mg, 70% yield).

Melting Point: 198-200 ºC.

$[\alpha]_D^{25}$= -59.6 (c = 1.0, $CHCl_2$).

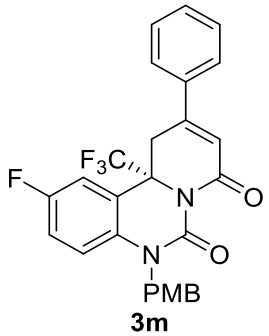
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 ºC; retention time: 15.99 min (minor), 19.88 min (major), e.r.: 93.5:6.5.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.04 – 8.00 (m, 1H), 7.96 – 7.93 (m, 2H), 7.81 – 7.91 (m, 1H), 7.67 (dd, *J* = 9.0, 1.8 Hz, 1H), 7.61 – 7.56 (m, 2H), 7.29 (d, *J* = 9.0 Hz, 2H), 7.23 (dd, *J* = 9.0, 2.4 Hz, 1H), 7.10 – 7.05 (m, 1H), 7.01 (dd, *J* = 9.0, 4.2 Hz, 1H), 6.88 (d, *J* = 9.0 Hz, 2H), 6.67 (d, *J* = 2.4 Hz, 1H), 5.38 (d, *J* = 16.2 Hz, 1H), 4.97 (d, *J* = 16.2 Hz, 1H), 3.95 (d, *J* = 17.4 Hz, 1H), 3.79 (s, 3H), 3.52 (d, *J* = 17.4 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.2, 159.3, 159.1, 157.6, 148.5, 145.1, 134.3, 134.2, 133.0, 132.8, 129.2, 128.7, 128.3 (2C), 127.8, 127.2, 127.7, 126.1, 126.0, 124.2, 122.8, 120.0, 117.8 (d, *J* = 21.0 Hz), 117.2 (d, *J* = 7.5 Hz), 114.3 (2C), 113.4 (d, *J* = 25.5 Hz), 62.4 (d, *J* = 28.5 Hz), 55.3, 48.0, 32.7 ppm

IR (ATR): 2957, 2228, 1723, 1508, 1447, 1375, 1190, 1018, 903, 821, 732 cm^{-1}.

HRMS (ESI): *m/z* $[M+H]^+$ calcd for $C_{31}H_{23}N_2O_3F_4^+$: 547.1639; found 547.1625.



3m

(*R*)-2-Fluoro-5-(4-methoxybenzyl)-10-phenyl-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3m)

Prepared according to the above general procedure by using **1a** (58.6 mg, 0.4 mmol), **2b** (70.4 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3m** as a yellow solid. (77.4 mg, 78% yield).

Melting Point: 116-118 °C.

$[\alpha]_D^{25}$= -13.5 (c = 1.0, $CHCl_2$).

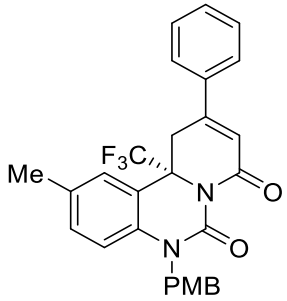
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 14.40 min (minor), 19.68 min (major), e.r.: 97.5:2.5

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.59 – 7.51 (m, 2H), 7.52 – 7.46 (m, 3H), 7.30 – 7.25 (m, 2H), 7.15 (dd, *J* = 9.0, 3.0 Hz, 1H), 7.08 – 6.96 (m, 2H), 6.86 (d, *J* = 8.4 Hz, 2H), 6.50 (d, *J* = 2.4 Hz, 1H), 5.35 (d, *J* = 16.2 Hz, 1H), 4.95 (d, *J* = 16.2 Hz, 1H), 3.83 – 3.75 (m, 4H), 3.42 (d, *J* = 18.0 Hz, 1H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.2, 159.2, 159.0, 157.6, 148.5, 145.5, 135.7, 134.3, 130.7, 129.2 (2C), 128.2 (2C), 127.8, 126.0 (2C), 124.1, 119.7, 117.8 (d, *J* = 21.0 Hz), 117.2 (d, *J* = 7.5 Hz), 114.3 (2C), 113.4 (d, *J* = 25.5 Hz), 62.4 (q, *J* = 28.5 Hz), 55.3, 48.0, 32.8 ppm

IR (ATR): 2936, 2288, 1722, 1662, 1612, 1512, 1441, 1377, 1256, 1184, 1021, 911, 858, 810, 731 cm^{-1}.

HRMS (ESI): *m/z* $[M+H]^+$ calcd for $C_{27}H_{21}N_2O_3F_4^+$: 497.1482; found 497.1463.



3n

(*R*)-5-(4-Methoxybenzyl)-2-methyl-10-phenyl-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3n)

Prepared according to the general procedure by using **1a** (58.6 mg, 0.4 mmol), **2c** (69.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3n** as a yellow wax. (73.8 mg, 75% yield).

$[\alpha]_D^{25}$= -18.2 (c = 1.0, $CHCl_2$).

HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 12.55 min (minor), 16.54 min (major), e.r.: 97:3.

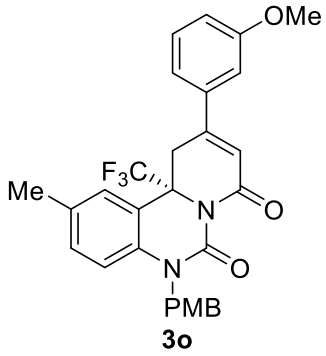
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.61 – 7.41 (m, 5H), 7.31 – 7.10 (m, 4H), 6.94 – 6.79 (m, 3H), 6.49 (s, 1H), 5.32 (d, *J* = 15.6 Hz, 1H), 4.98 (d, *J* = 15.6 Hz, 1H), 3.86 (d, *J* = 17.4 Hz, 1H), 3.76 (s, 3H), 3.42 (d, *J* = 17.4 Hz, 1H), 2.34 (s, 3H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.5, 158.9, 148.8, 145.7, 136.0, 135.4, 133.2, 131.5, 130.6, 129.2 (2C), 128.3 (2C), 128.3, 126.4, 126.0 (2C), 124.4, 121.2, 119.8, 115.6, 114.2 (2C), 62.6 (q, *J* = 28.5 Hz), 55.3, 47.7, 33.0, 20.8 ppm

IR (ATR): 2930, 2244, 1724, 1662, 1612, 1508, 1442, 1380, 1311, 1235, 1175, 1028, 902, 863, 817,

728 cm^{-1}.

HRMS (ESI): *m/z* $[M+H]^+$ calcd for $C_{28}H_{24}N_2O_3F_3^+$: 493.1734; found 493.1713.



3o

(*R*)-5-(4-Methoxybenzyl)-10-(3-methoxyphenyl)-2-methyl-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3o)

Prepared according to the general procedure by using **1e** (70.4 mg, 0.4 mmol), **2c** (70.4 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3o** as a yellow solid. (75.2 mg, 72% yield).

Melting Point: 205-207 °C.

$[\alpha]_D^{25}$= -20.2 (c = 1.0, $CHCl_2$).

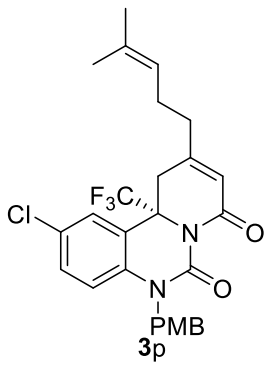
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 16.75 min (minor), 21.70 min (major), e.r.: 97:3.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.40 (t, *J* = 8.4 Hz, 1H), 7.29 – 7.25 (m, 2H), 7.20 – 7.11 (m, 3H), 7.09 – 7.06 (m, 1H), 7.01 (dd, *J* = 8.2, 2.4 Hz, 1H), 6.91 (d, *J* = 8.4 Hz, 1H), 6.85 (d, *J* = 8.4 Hz, 2H), 6.49 (d, *J* = 3.0 Hz, 1H), 5.32 (d, *J* = 16.2 Hz, 1H), 4.98 (d, *J* = 16.2 Hz, 1H), 3.87 (s, 3H), 3.83 (d, *J* = 18.0 Hz, 1H), 3.77 (s, 3H), 3.40 (d, *J* = 18.0 Hz, 1H), 2.34 (s, 3H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 162.5, 160.0, 158.9, 148.8, 145.5, 137.4, 135.4, 133.2, 131.5, 130.2, 128.3 (2C), 128.3, 126.4, 124.3, 121.1, 119.9 (q, *J* = 6.0 Hz), 118.4, 115.6 (2C), 114.2 (2C), 112.0, 62.5 (q, *J* = 28.5 Hz), 55.5, 55.2, 47.7, 33.0, 20.8 ppm

IR (ATR): 2926, 2325, 1730, 1666, 1606, 1511, 1439, 1375, 1257, 1173, 1034, 956, 863, 814, 736, 691 cm^{-1}.

HRMS (ESI): *m/z* $[M+H]^+$ calcd for $C_{29}H_{26}N_2O_4F_3^+$: 523.1839; found 523.1816.



3p

(*R*)-2-Chloro-5-(4-methoxybenzyl)-10-(4-methylpent-3-en-1-yl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3p)

Prepared according to the general procedure by using **1l** (60.8 mg, 0.4 mmol), **2a** (73.6 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3p** as a yellow wax. (45.6 mg, 44% yield).

$[\alpha]_D^{25}$= +26.4 (c = 1.0, $CHCl_2$).

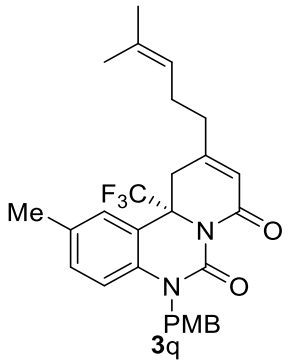
HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 10.24 min (minor), 11.70 min (major), e.r.: 90:10.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.28 – 7.21 (m, 4H), 6.92 (d, *J* = 8.4 Hz, 1H), 6.85 (d, *J* = 8.4 Hz, 2H), 6.01 (s, 1H), 5.29 (d, *J* = 16.2 Hz, 1H), 5.08 (t, *J* = 6.0 Hz, 1H), 4.95 (d, *J* = 16.2 Hz, 1H), 3.77 (s, 3H), 3.23 (d, J = 18.0 Hz, 1H), 3.03 (d, J = 18.0 Hz, 1H), 2.35 – 2.22 (m, 4H), 1.70 (s, 3H), 1.64 (s, 3H) ppm

^{13}C NMR (150 MHz, $CDCl_3$) δ 161.8, 159.0, 150.2, 148.6, 136.5, 133.7, 130.8, 128.6, 128.2 (2C), 127.7, 126.1, 124.1, 122.9, 121.9, 120.1, 116.9, 114.3 (2C), 62.2 (q, *J* = 28.5 Hz), 55.3, 47.7, 36.2, 34.3, 25.7, 24.9, 17.9 ppm

IR (ATR): 2926, 2253, 1733, 1676, 1603, 1503, 1428, 1369, 1303, 1254, 1216, 1172, 1116, 1028, 908, 815, 733 cm^{-1}.

HRMS (ESI): *m/z* $[M+H]^+$ calcd for $C_{27}H_{27}N_2O_3F_3Cl^+$: 519.1657; found 519.1634.



3q

(*R*)-5-(4-Methoxybenzyl)-2-methyl-10-(4-methylpent-3-en-1-yl)-11a-(trifluoromethyl)-11,11a-dihydro-6H-pyrido[1,2-c]quinazoline-6,8(5H)-dione (3q)

Prepared according to the general procedure by using **1l** (60.8 mg, 0.4 mmol), **2b** (70.4 mg, 0.2 mmol) and pre-catalyst **A** (18.6 mg, 0.04 mmol) in THF (2.0 mL) for 24 h at room temperature. The chromatographic purification using *n*-hexane and ethyl acetate (4 : 1) as the eluent afforded **3q** as a yellow wax. (41.8 mg, 42% yield).

$[\alpha]_D^{25}$= +21.2 (c = 1.0, $CHCl_2$).

HPLC: CHIRALPAK IC; *n*-heptane/iPrOH = 7/3; flow rate 0.7 mL/min; T= 30 °C; retention time: 11.86 min (minor), 13.84 min (major), e.r.: 88:12.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 (d, *J* = 7.6 Hz, 2H), 7.11 – 7.01 (m, 2H), 6.89 – 6.79 (m, 3H), 5.98 (s, 1H), 5.28 (d, *J* = 16.0 Hz, 1H), 5.10 – 5.03 (m, 1H), 4.92 (d, *J* = 16.0 Hz, 1H), 3.75 (s, 3H), 3.24 (d, *J* = 18.0 Hz, 1H), 3.02 (d, *J* = 18.0 Hz, 1H), 2.34 – 2.20 (m, 7H), 1.68 (s, 3H), 1.62 (s, 3H) ppm

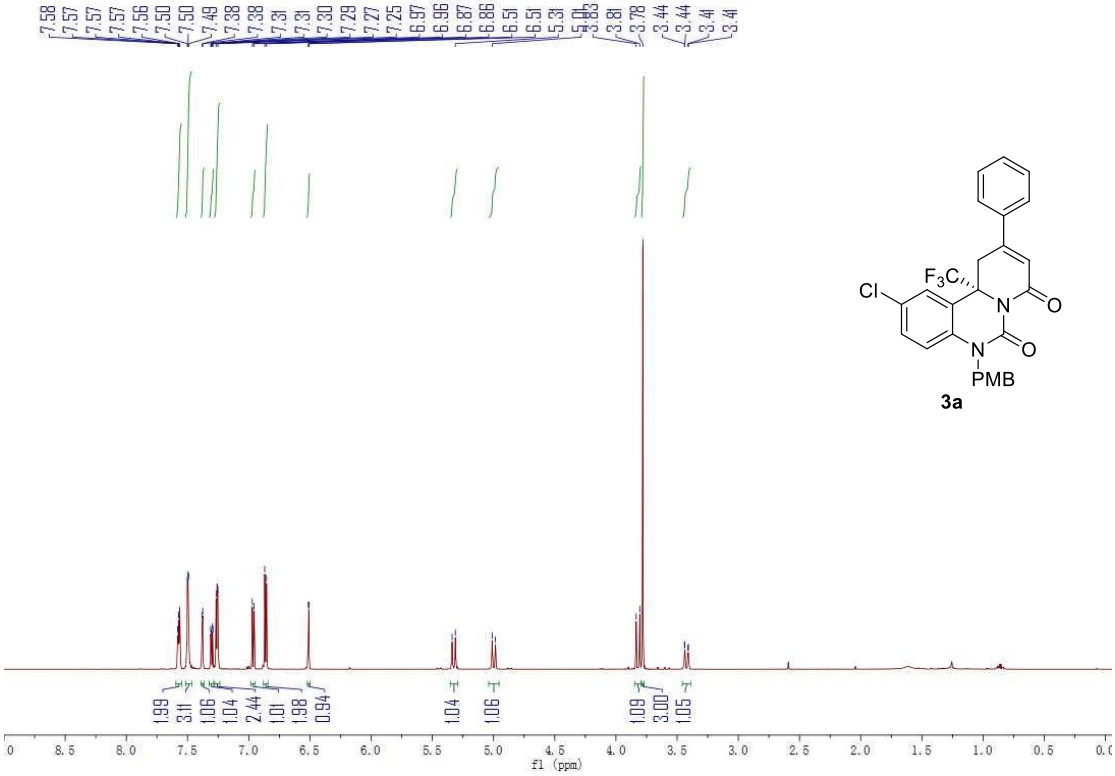
^{13}C NMR (100 MHz, $CDCl_3$) δ 162.2, 158.8, 150.1, 148.9, 135.4, 133.5, 133.0, 131.3, 128.3, 128.2 (2C), 126.3, 124.1, 122.0, 121.3, 120.1, 115.5, 114.1 (2C), 64.5, 55.2, 47.6, 36.2, 34.4, 25.6, 24.9, 20.8, 17.8 ppm

IR (ATR): 2962, 2250, 1735, 1610, 1511, 1442, 1367, 1217, 1027, 903, 812, 745, 666 cm^{-1}.

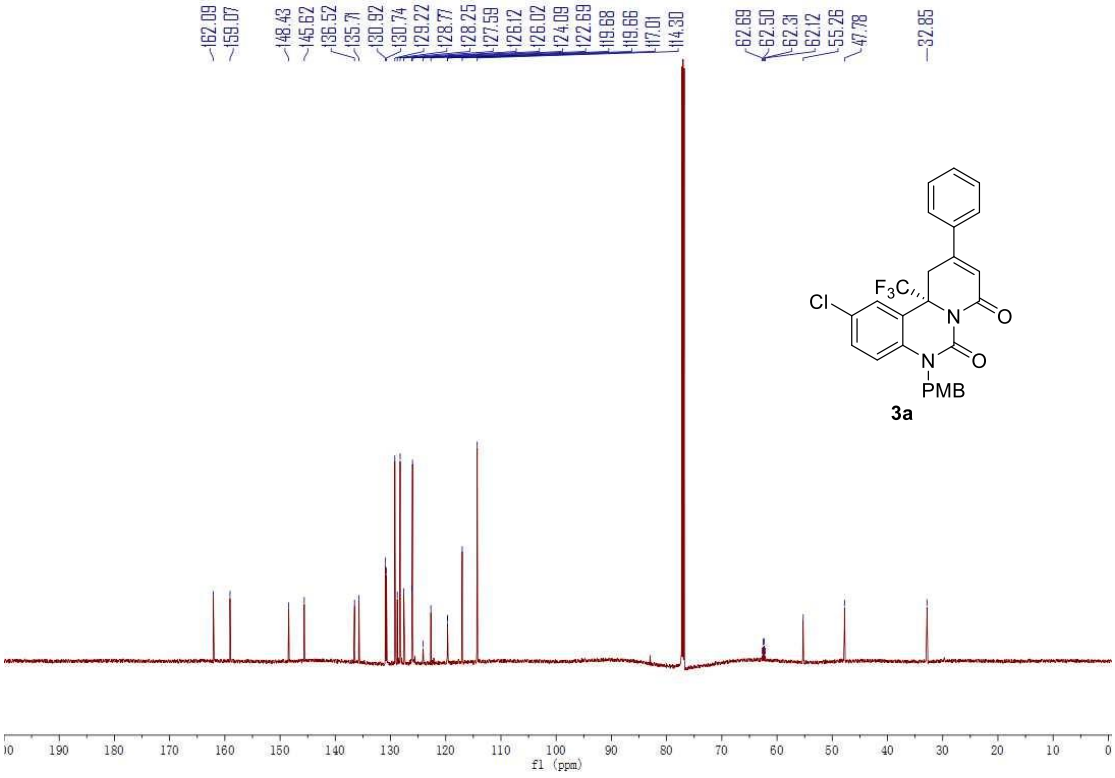
HRMS (ESI): *m/z* $[M+H]^+$ calcd for $C_{28}H_{30}N_2O_3F_3^+$: 499.2203; found 499.2184.

3. NMR Spectra and Chiral HPLC Data:

^{1}H NMR of **3a:**

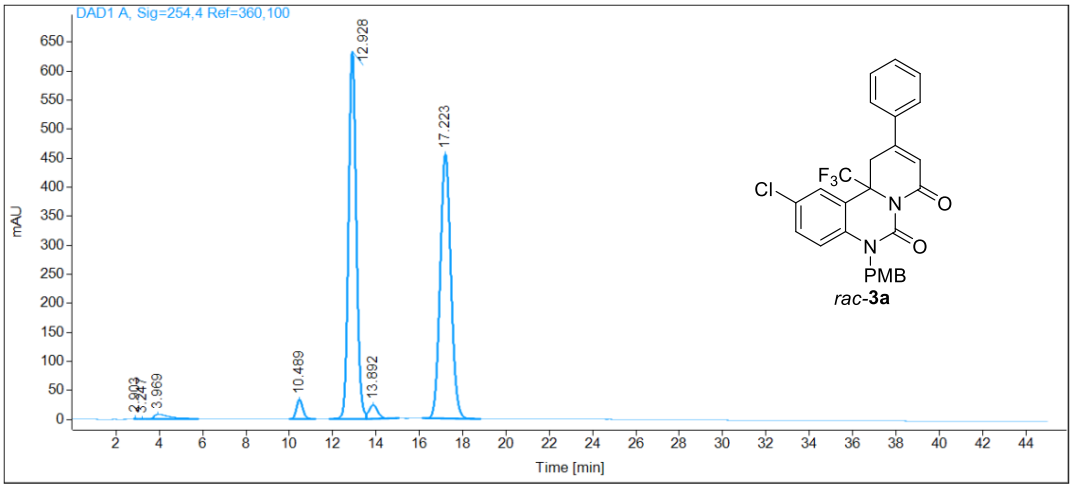


^{13}C NMR of **3a:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 29 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

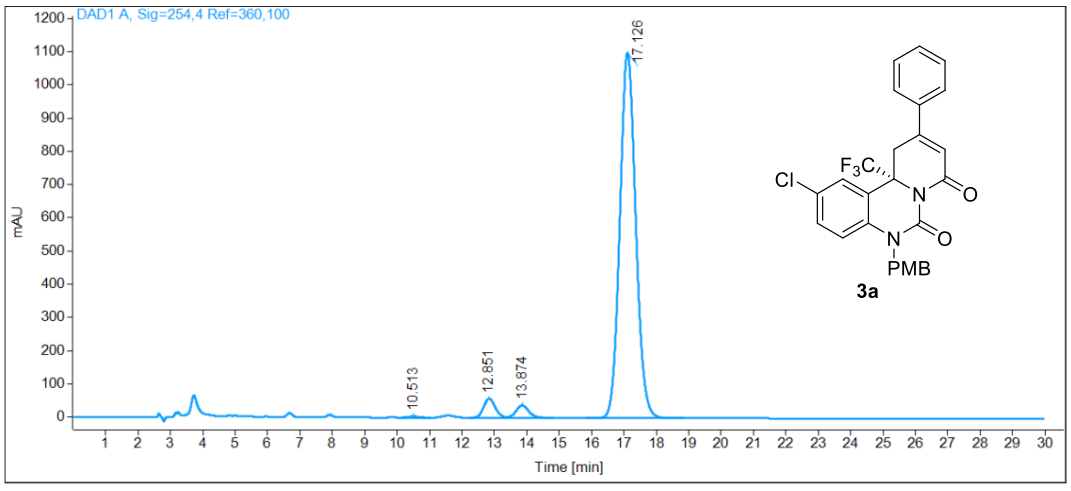


Name LQ-P4-A RAC

RT [min]	Type	Area%	Area	Height	Width [min]
2.90	BB	0.02	7.75	1.87	0.06
3.25	BV	0.02	5.26	0.52	0.13
3.97	VB	1.22	403.93	8.09	0.66
10.49	BB	2.00	661.55	32.88	0.31
12.93	BV	47.44	15665.53	632.21	0.38
13.89	VB	1.95	645.34	23.27	0.42
17.22	BB	47.34	15634.66	455.45	0.53
	Sum	100.00	33024.02		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

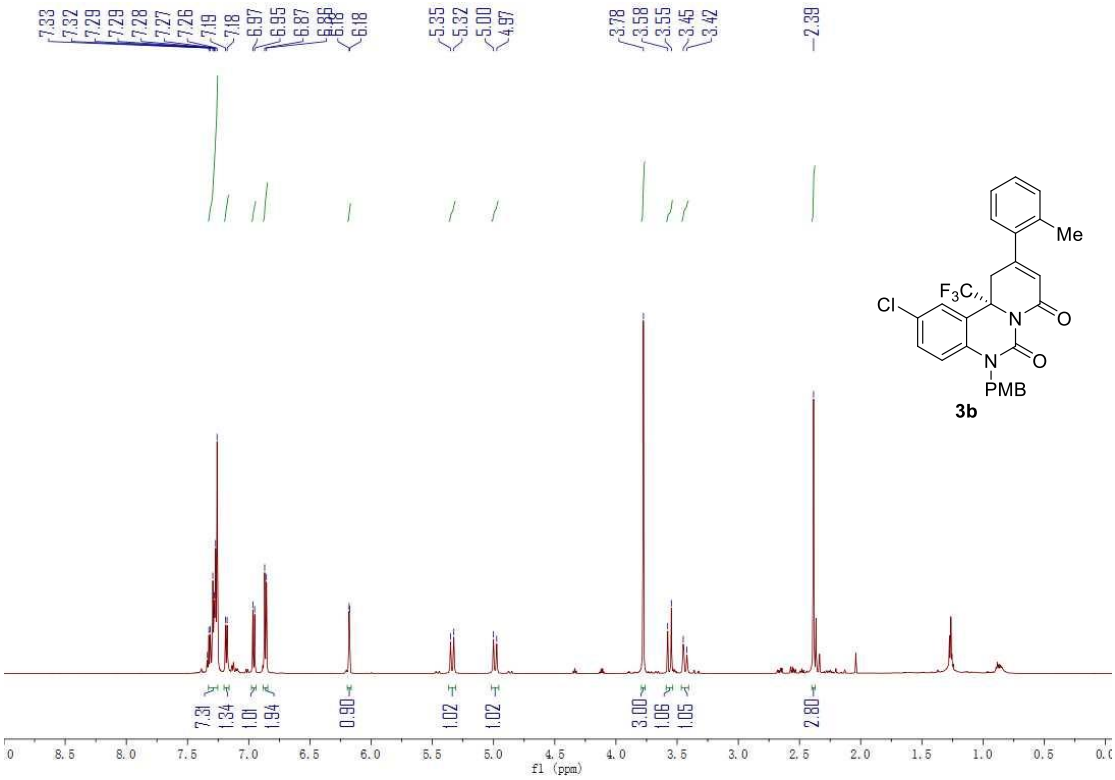
Pressure at start: 35 bar **Start flow:** 0.700 ml/min **Column oven:** 29.98 °C



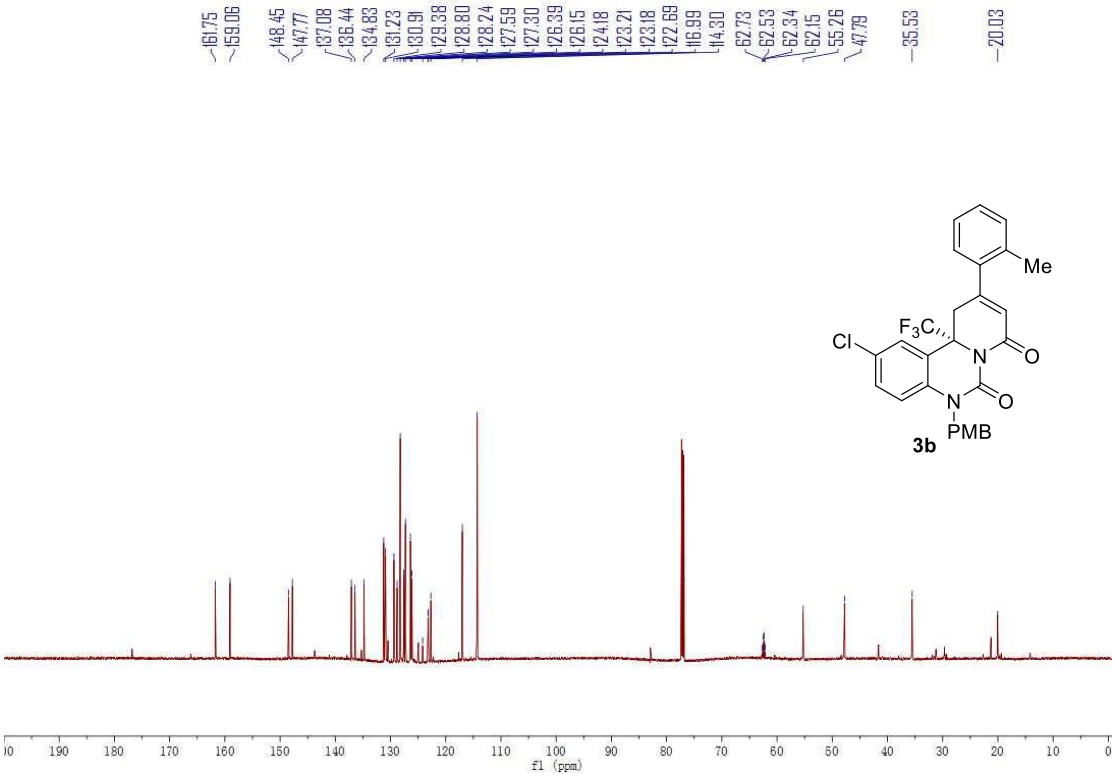
Name LQ-P6-A11

RT [min]	Type	Area%	Area	Height	Width [min]
10.51	BB	0.19	74.98	3.45	0.35
12.85	BV	3.61	1455.35	58.14	0.38
13.87	VB	2.62	1058.86	37.84	0.43
17.13	BB	93.58	37756.54	1099.46	0.53
	Sum	100.00	40345.73		

^{1}H NMR of **3b:**

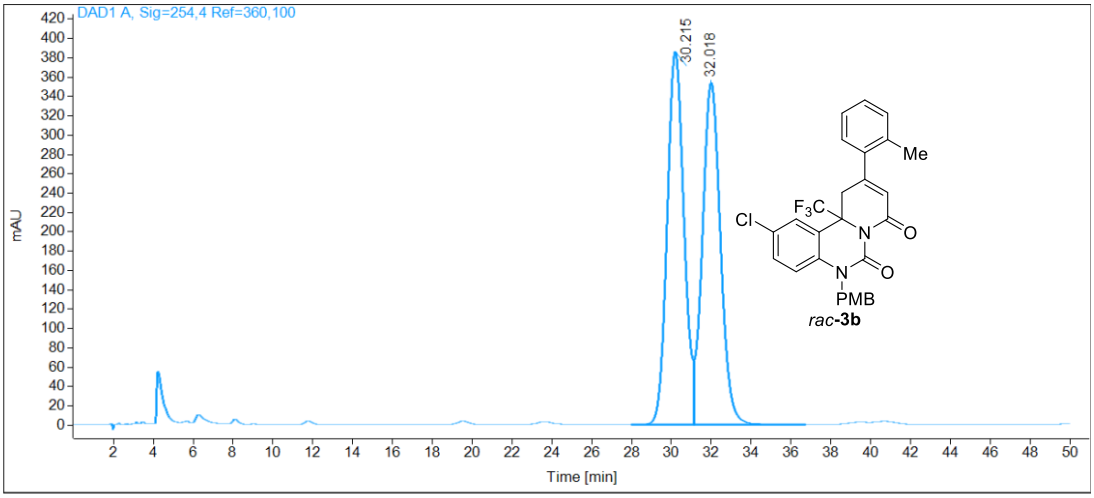


^{13}C NMR of **3b:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 43 bar **Start flow:** 1.000 ml/min **Column oven:** 30 °C

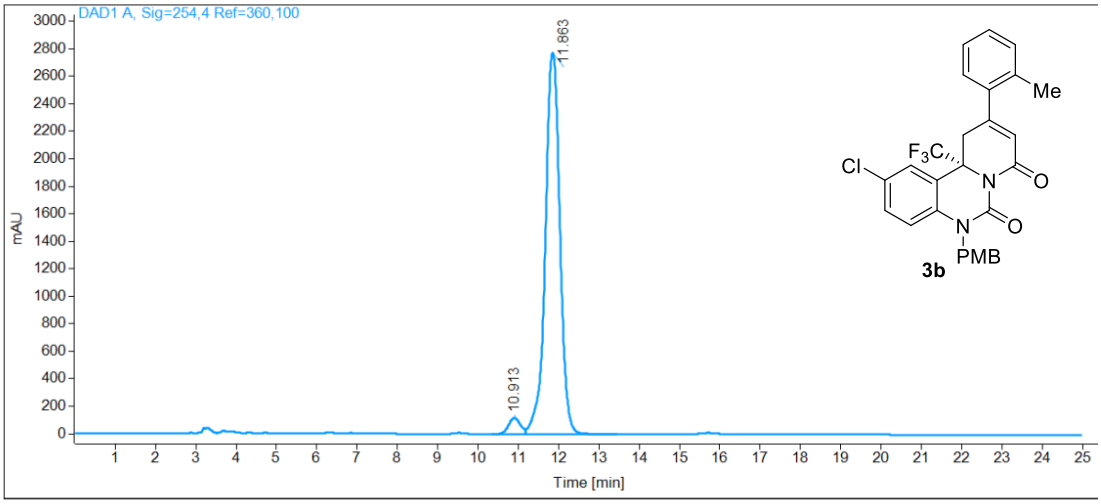


Name LQ-P4-B rac

RT [min]	Type	Area%	Area	Height	Width [min]
30.21	BV	50.11	22465.04	385.37	0.90
32.02	VB	49.89	22364.36	353.28	0.97
	Sum	100.00	44829.40		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

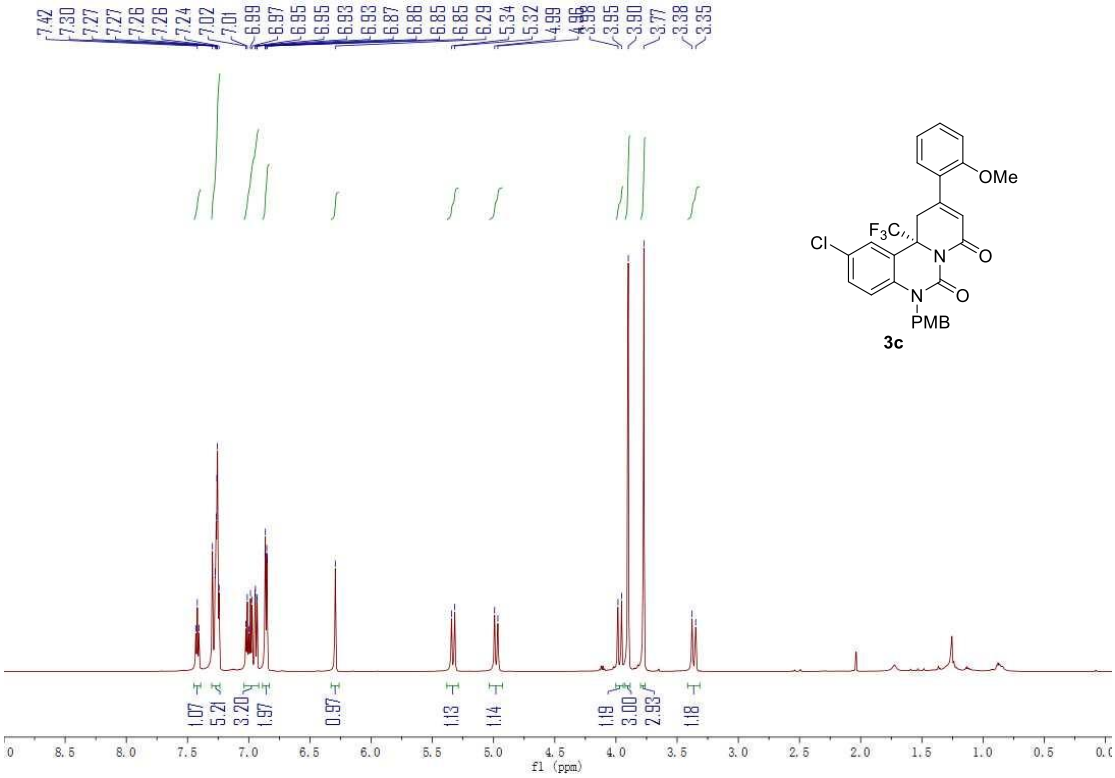
Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



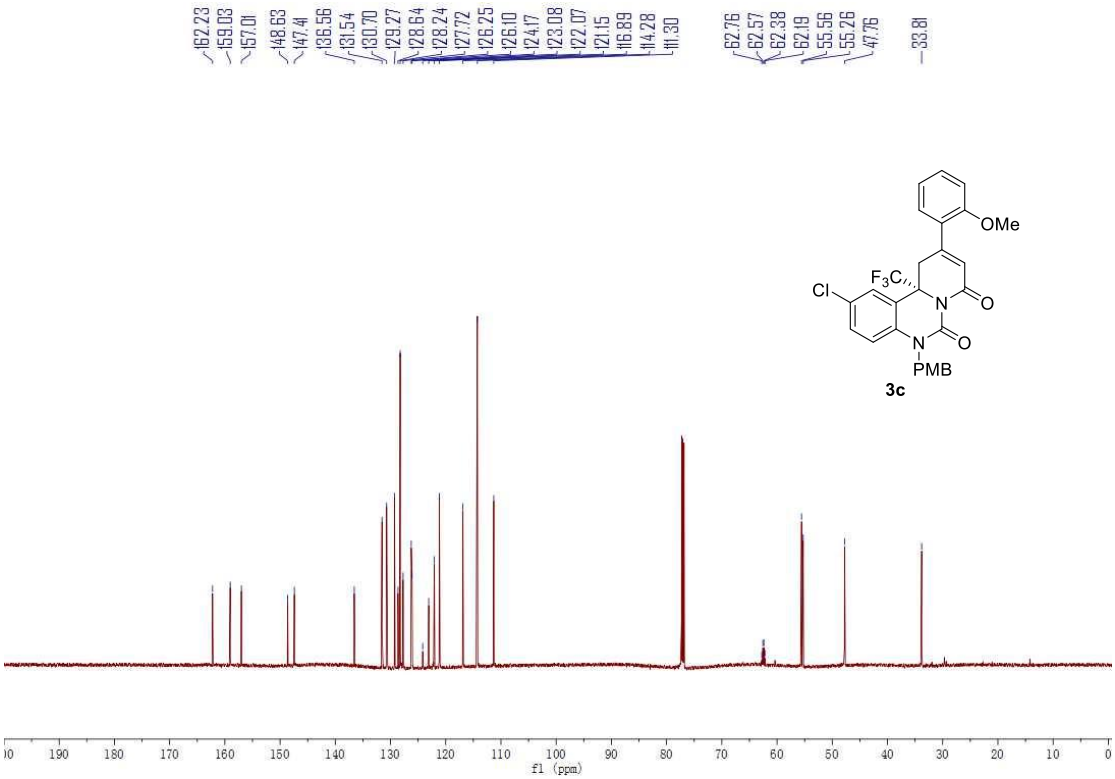
Name LQ-P4-B

RT [min]	Type	Area%	Area	Height	Width [min]
10.91	BV	3.32	2390.70	118.40	0.32
11.86	VB	96.68	69534.93	2774.76	0.39
	Sum	100.00	71925.63		

^{1}H NMR of **3c:**

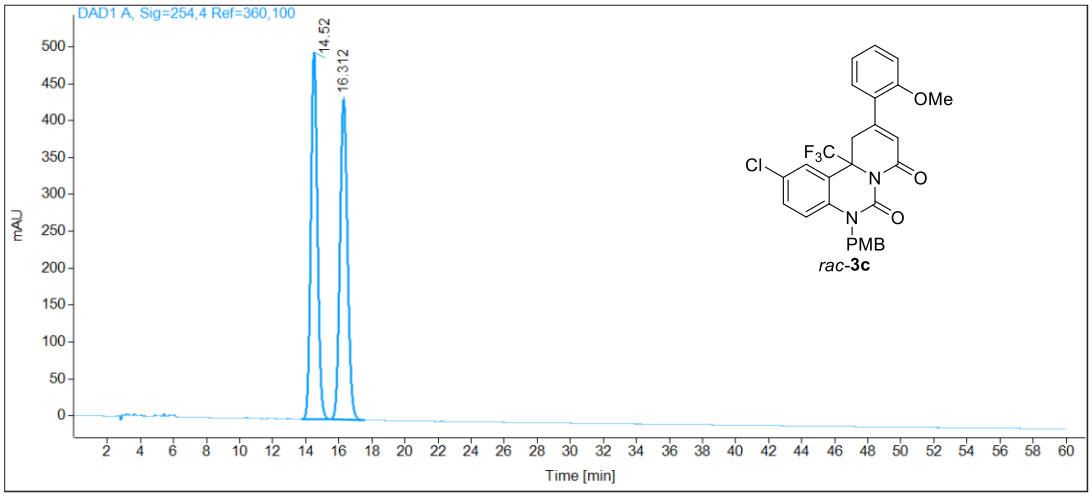


^{13}C NMR of **3c:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 37 bar **Start flow:** 0.700 ml/min **Column oven:** 30.01 °C

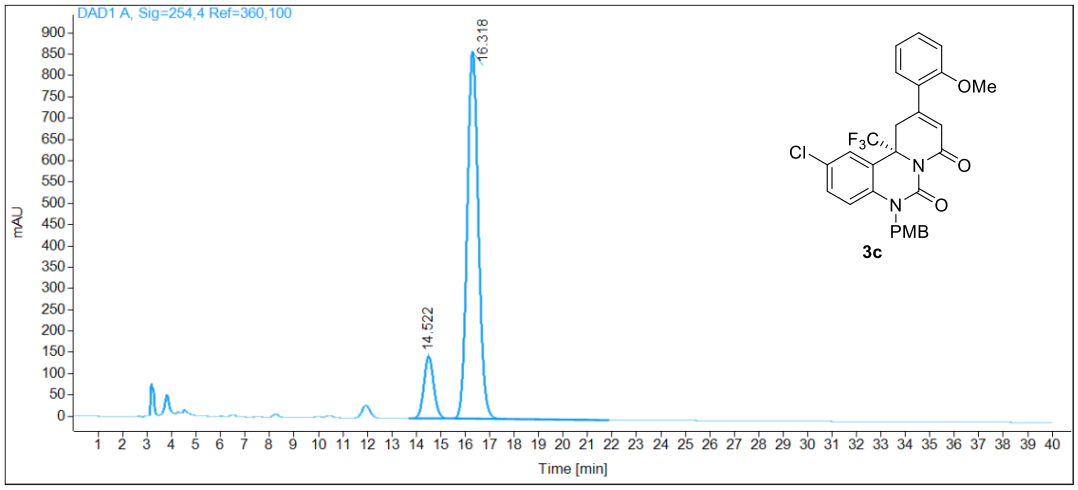


Name LQ-P4-C rac

RT [min]	Type	Area%	Area	Height	Width [min]
14.52	BB	50.01	13798.49	497.16	0.43
16.31	BB	49.99	13792.90	433.68	0.50
	Sum	100.00	27591.39		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

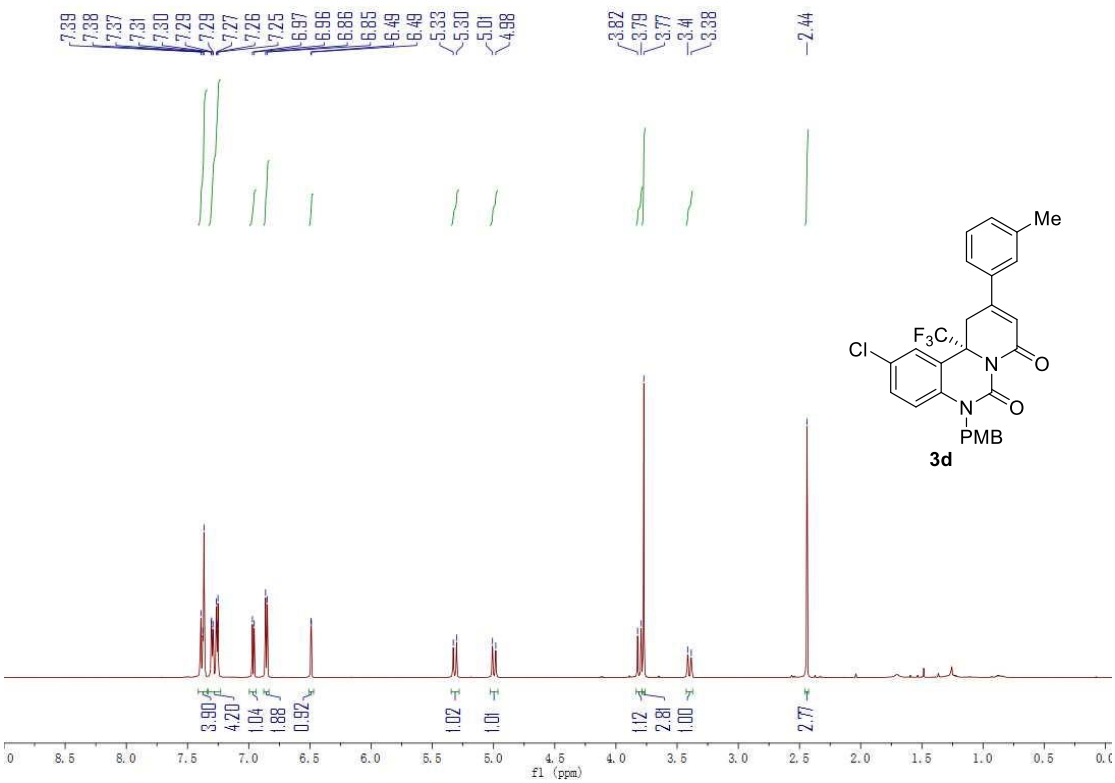
Pressure at start: 39 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



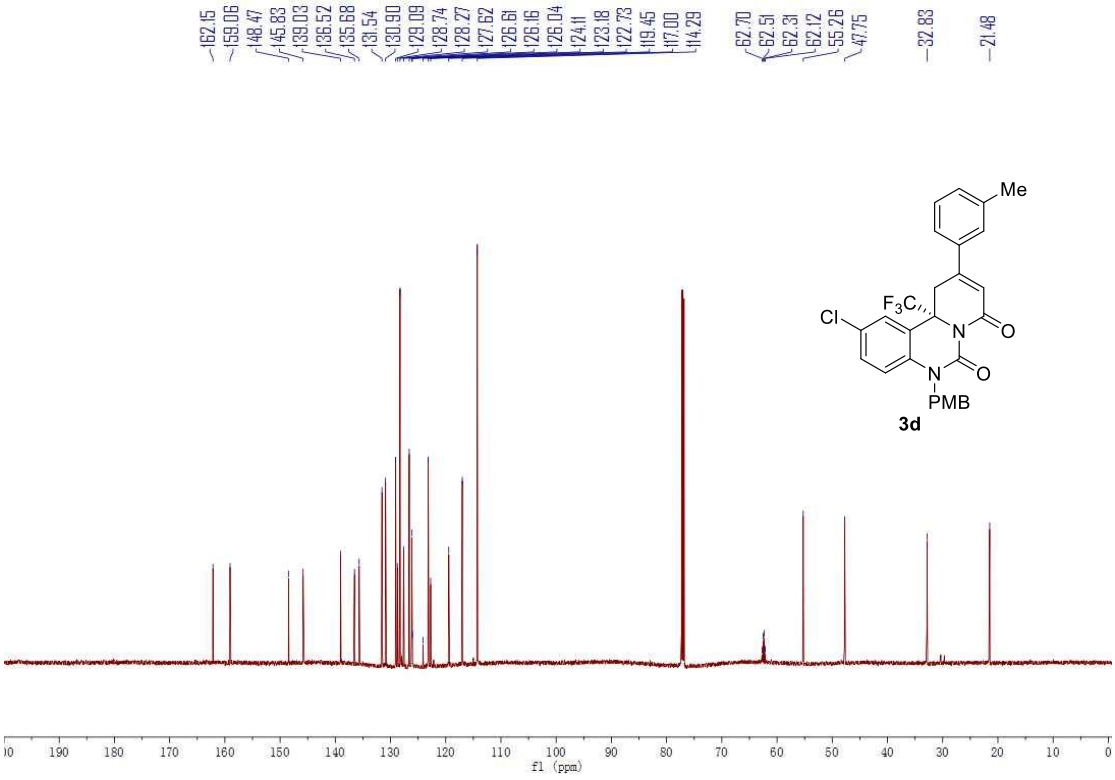
Name LQ-P4-C

RT [min]	Type	Area%	Area	Height	Width [min]
14.52	BB	12.84	4061.50	145.71	0.44
16.32	BB	87.16	27576.91	862.10	0.50
	Sum	100.00	31638.41		

^{1}H NMR of **3d:**

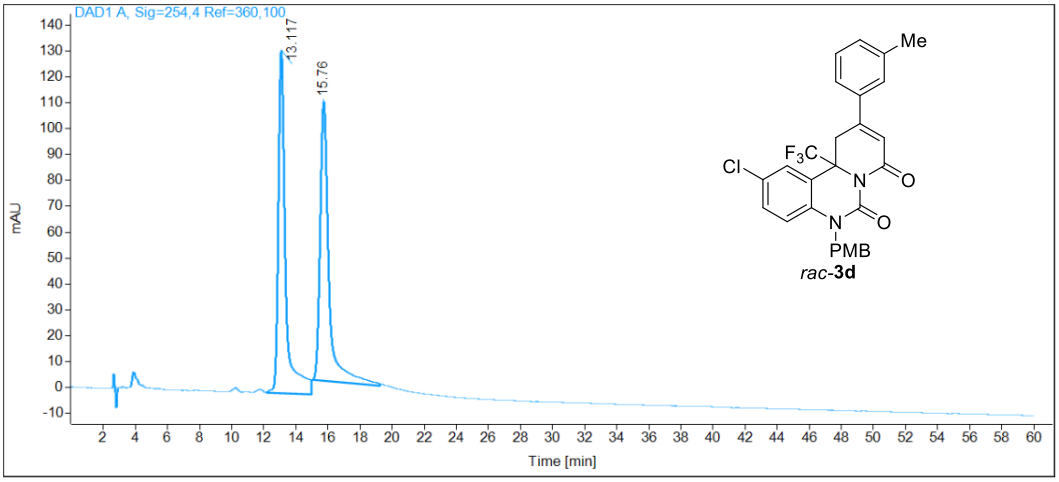


^{13}C NMR of **3d:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 37 bar **Start flow:** 0.700 ml/min **Column oven:** 29.97 °C

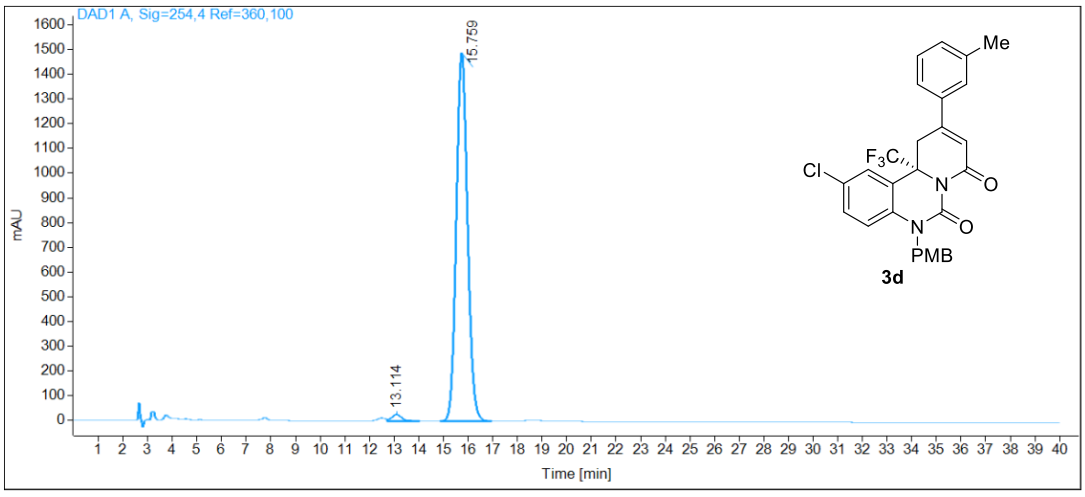


Name LQ-P4-D rac

RT [min]	Type	Area%	Area	Height	Width [min]
13.12	VV	50.34	4182.34	132.67	0.47
15.76	MM T	49.66	4125.40	107.99	0.64
	Sum	100.00	8307.74		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

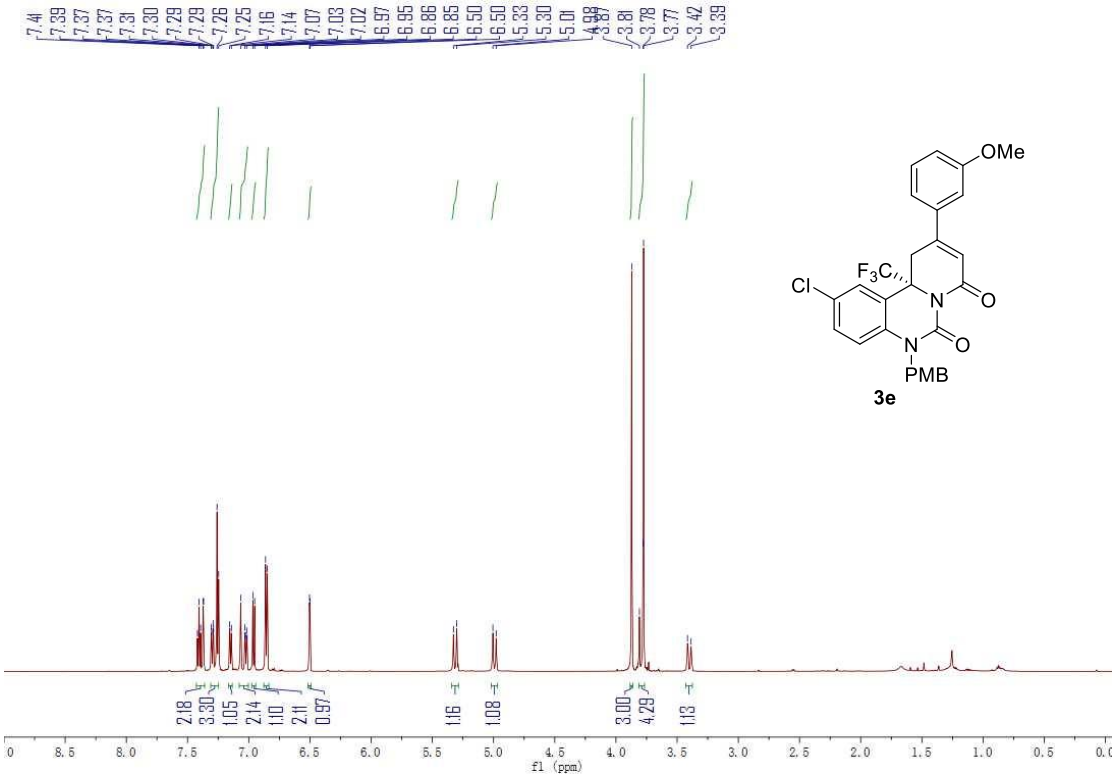
Pressure at start: 39 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



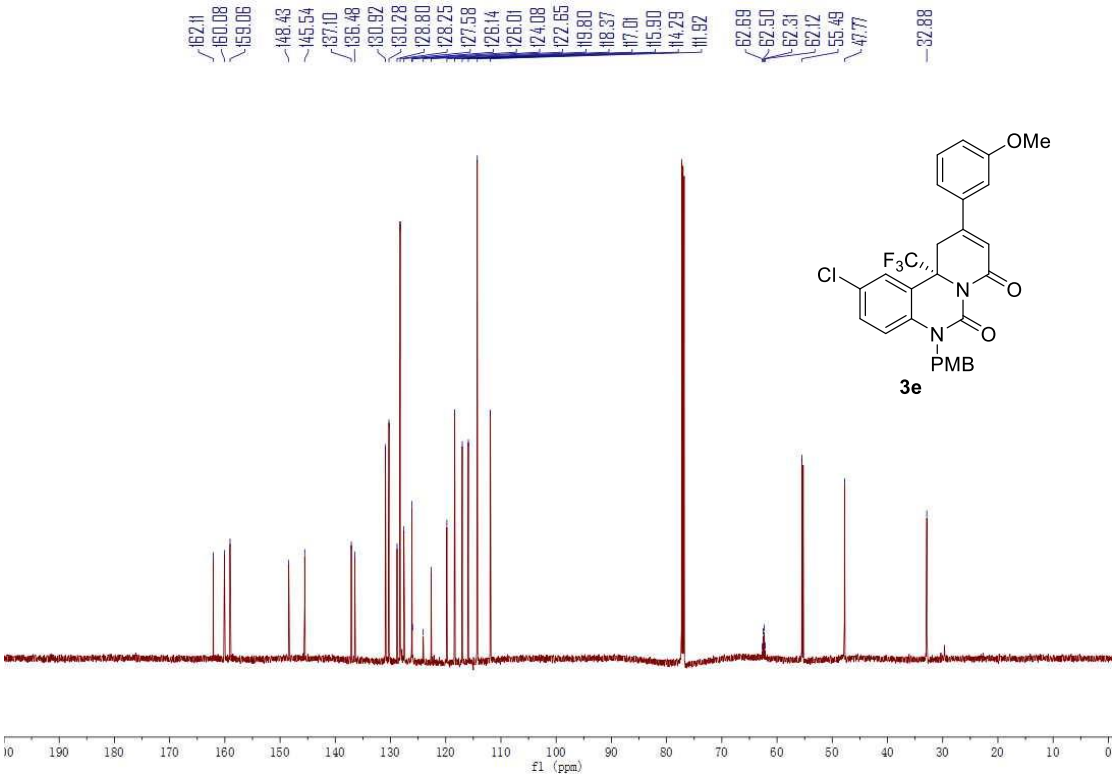
Name LQ-P4-D

RT [min]	Type	Area%	Area	Height	Width [min]
13.11	VB	1.46	698.00	26.05	0.41
15.76	BB	98.54	46977.69	1488.03	0.49
	Sum	100.00	47675.69		

^{1}H NMR of **3e:**

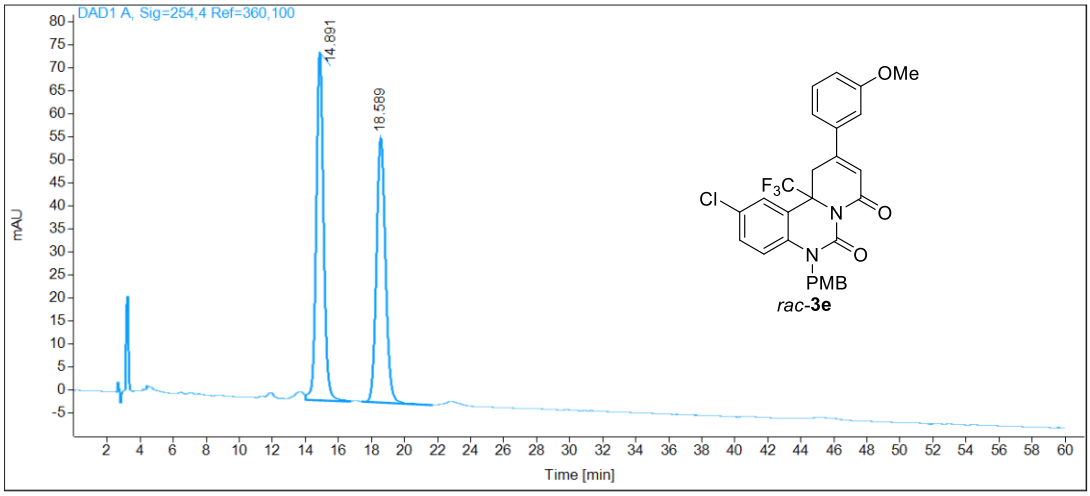


^{13}C NMR of **3e:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C

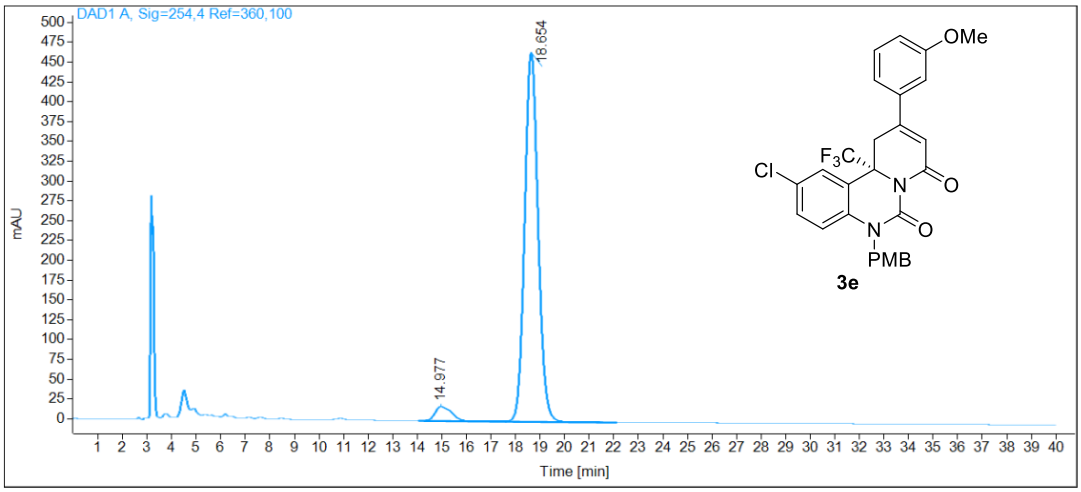


Name LQ-P4-E rac

RT [min]	Type	Area%	Area	Height	Width [min]
14.89	VB	51.42	2320.40	75.63	0.47
18.59	BB	48.58	2192.58	57.40	0.59
	Sum	100.00	4512.98		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

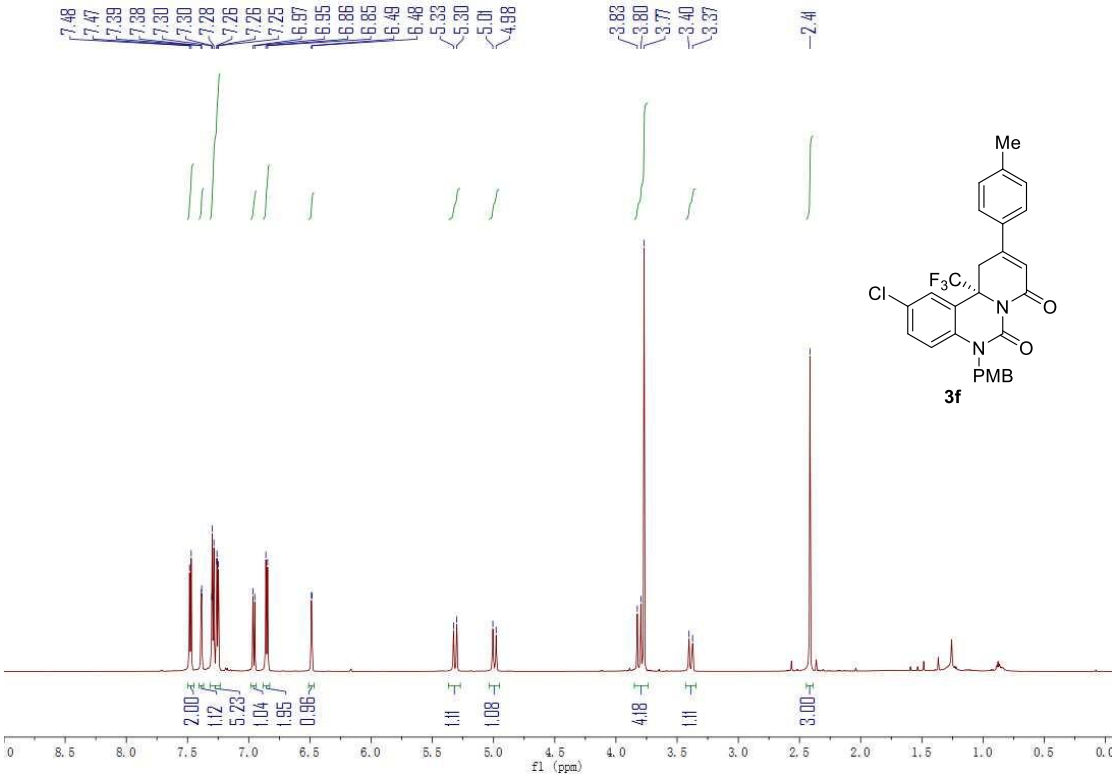
Pressure at start: 39 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C



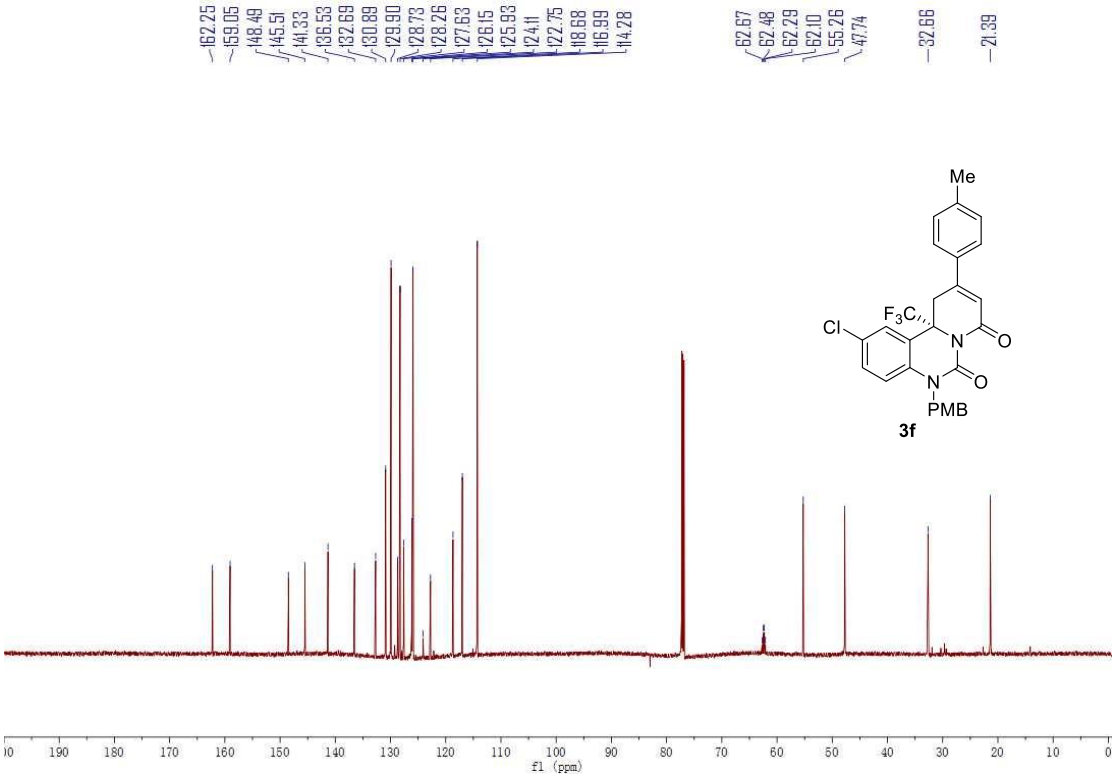
Name LQ-P4-E

RT [min]	Type	Area%	Area	Height	Width [min]
14.98	BV	4.76	884.17	17.92	0.68
18.65	VB	95.24	17679.58	465.49	0.59
	Sum	100.00	18563.75		

^{1}H NMR of **3f:**

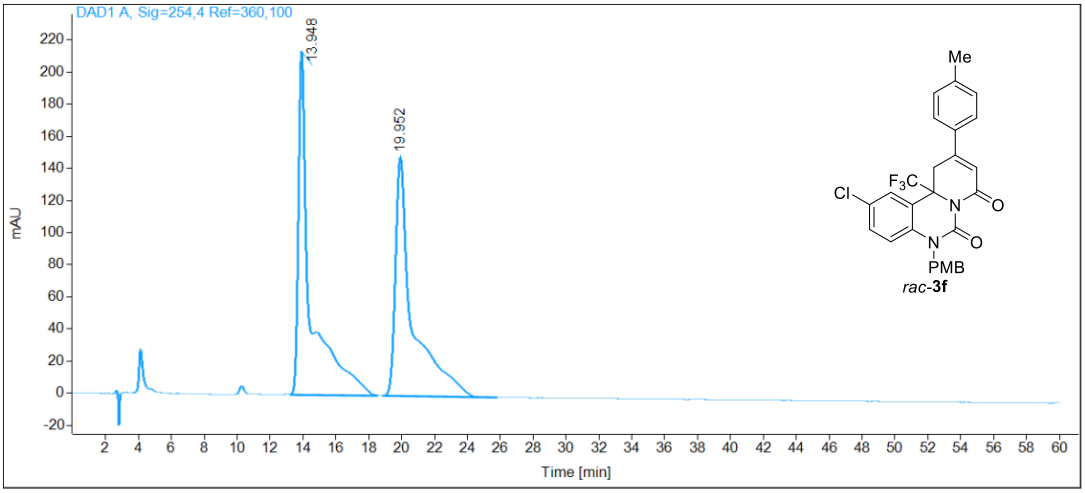


^{13}C NMR of **3f:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

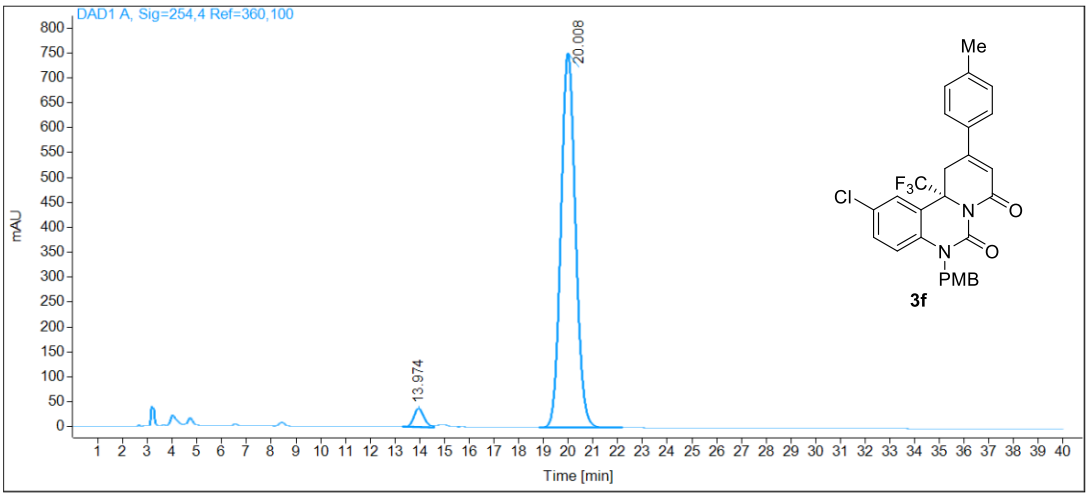


Name LQ-P4-F rac

RT [min]	Type	Area%	Area	Height	Width [min]
13.95	MM T	50.44	10886.19	214.10	0.85
19.95	BB	49.56	10695.11	148.37	0.99
	Sum	100.00	21581.29		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

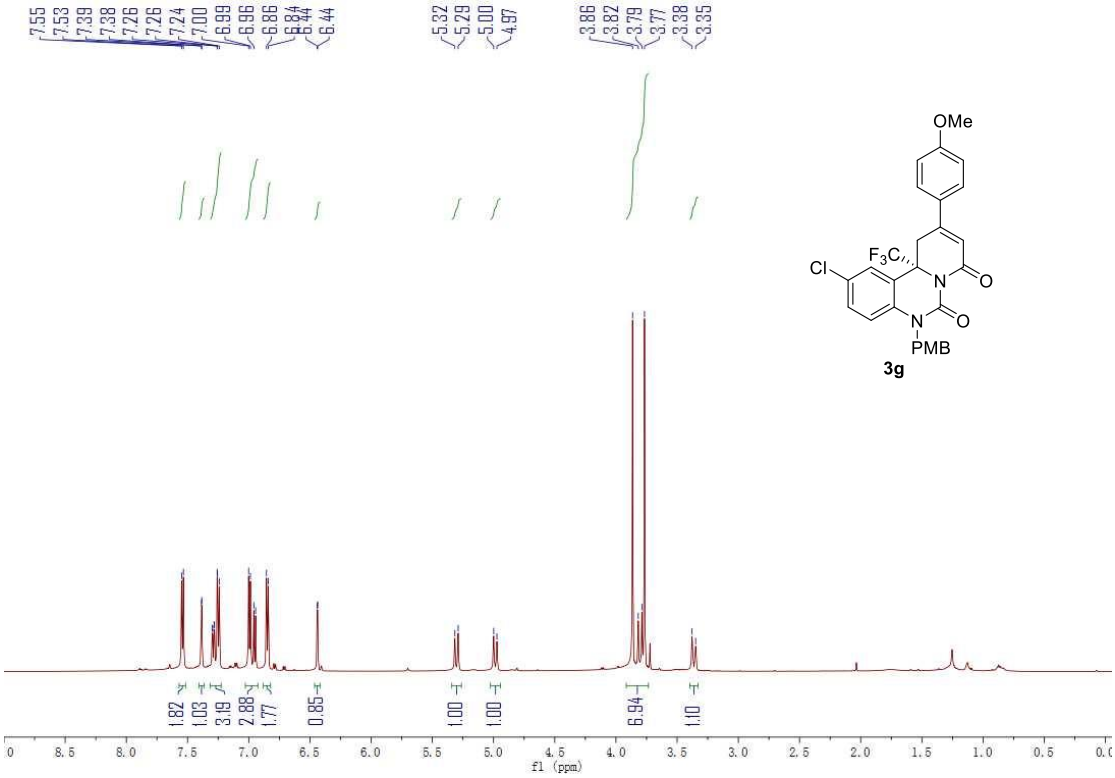
Pressure at start: 40 bar **Start flow:** 0.700 ml/min **Column oven:** 29.98 °C



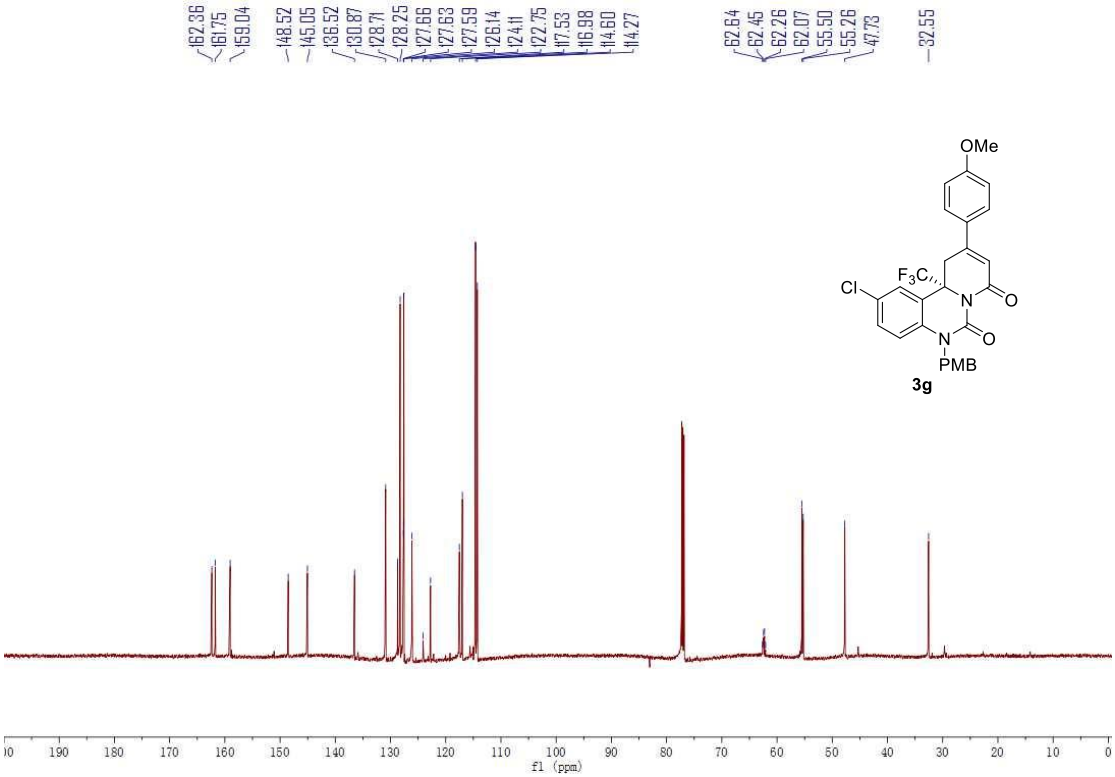
Name LQ-P4-F

RT [min]	Type	Area%	Area	Height	Width [min]
13.97	BV	3.27	1037.23	37.24	0.43
20.01	BB	96.73	30663.60	751.29	0.63
	Sum	100.00	31700.83		

^{1}H NMR of **3g:**

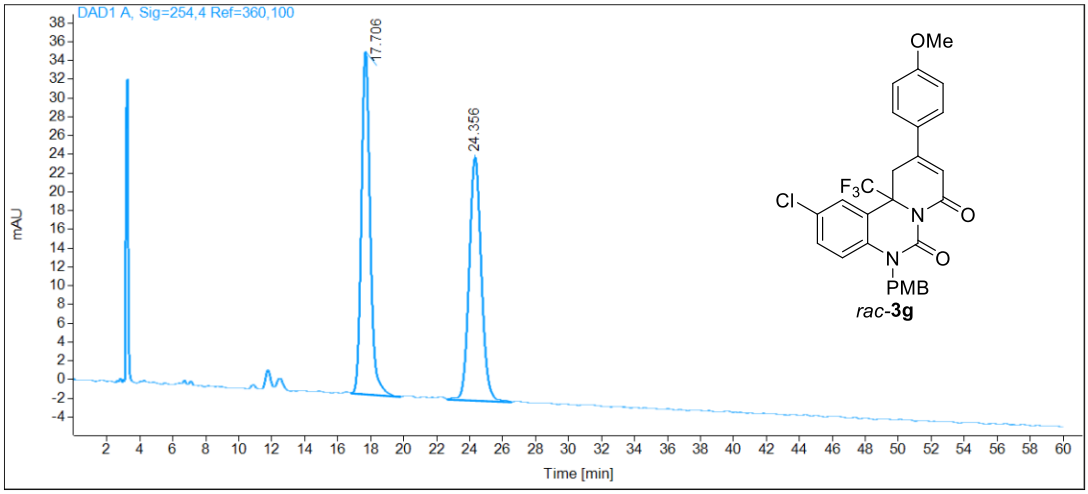


^{13}C NMR of **3g:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.98 °C

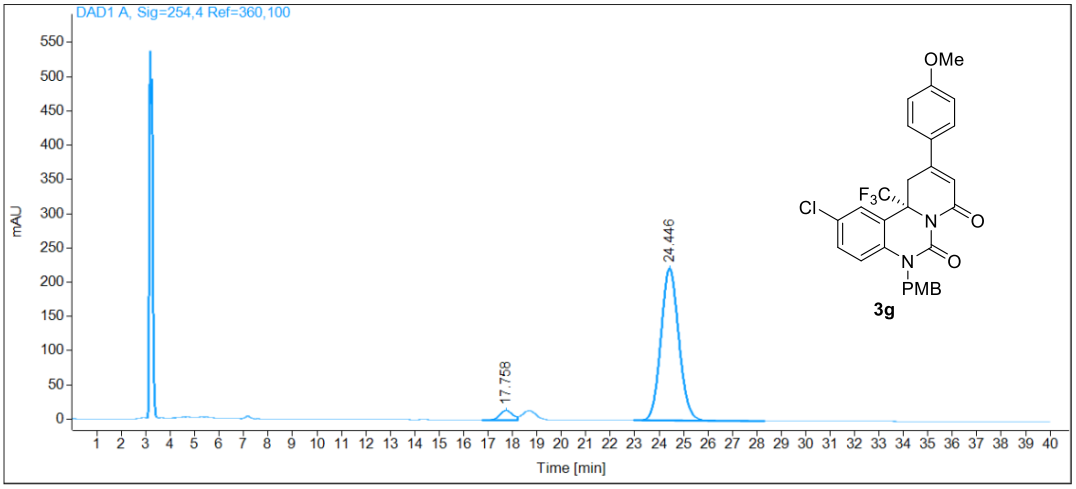


Name LQ-P4-G rac

RT [min]	Type	Area%	Area	Height	Width [min]
17.71	BB	50.22	1344.14	36.49	0.57
24.36	BB	49.78	1332.31	25.89	0.80
	Sum	100.00	2676.45		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

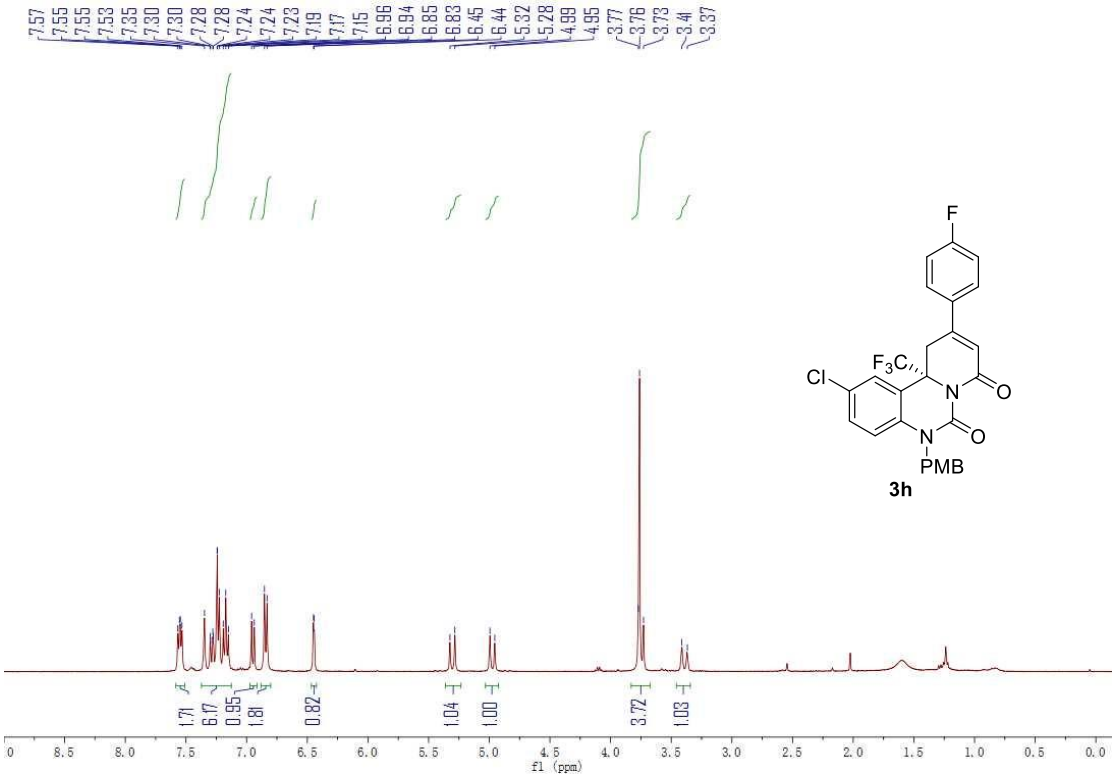
Pressure at start: 40 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C



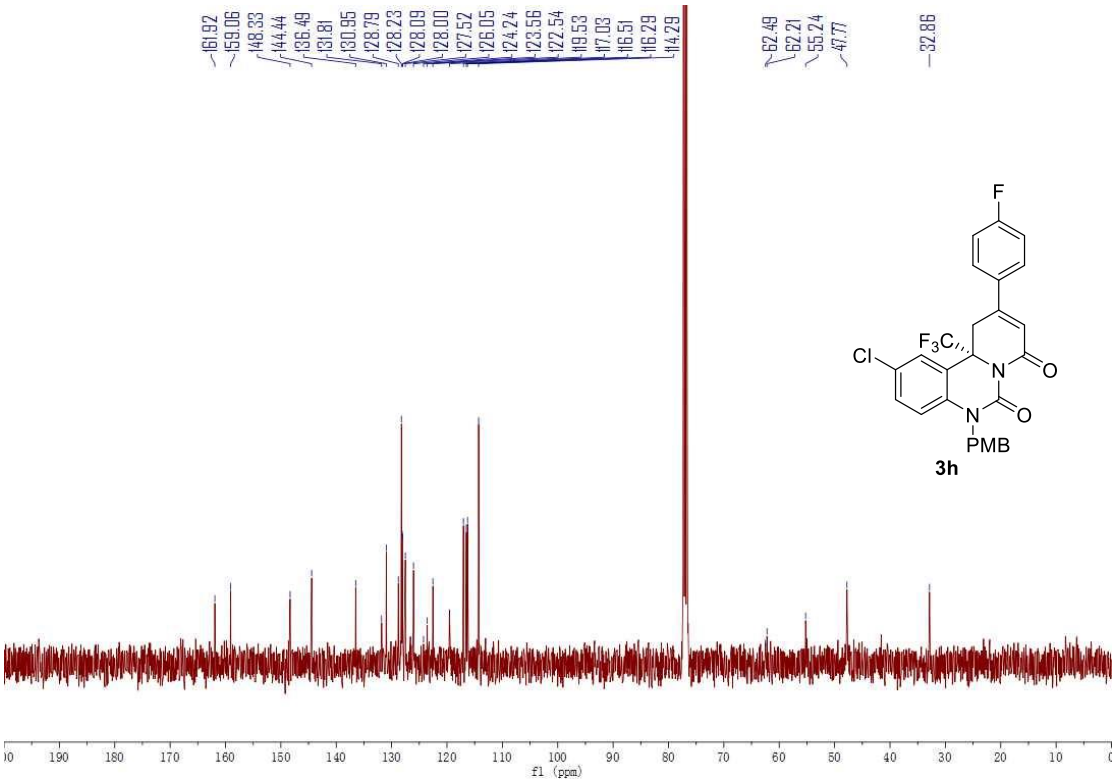
Name LQ-P4-G

RT [min]	Type	Area%	Area	Height	Width [min]
17.76	BV	4.13	488.38	13.79	0.55
24.45	BB	95.87	11330.75	221.86	0.80
	Sum	100.00	11819.13		

^{1}H NMR of **3h:**

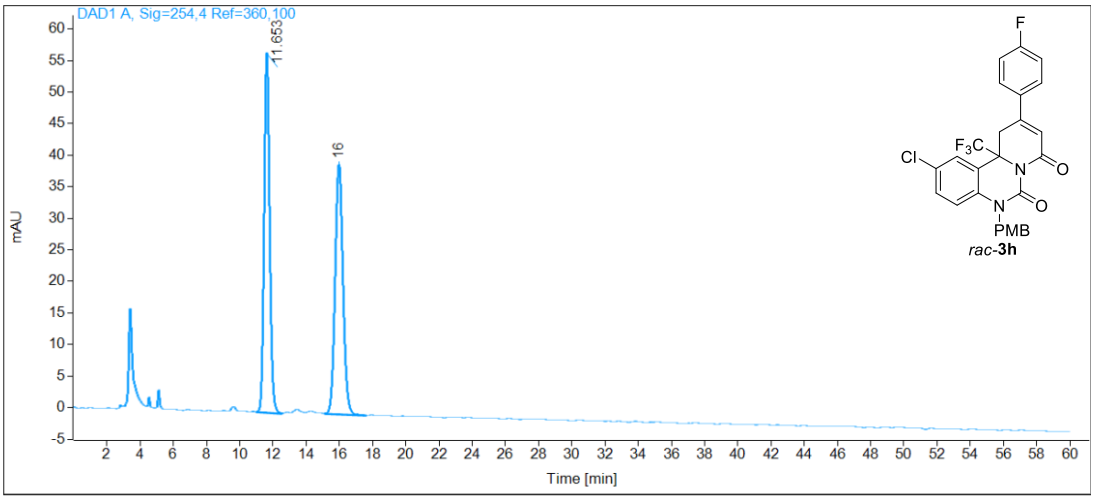


^{13}C NMR of **3h:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

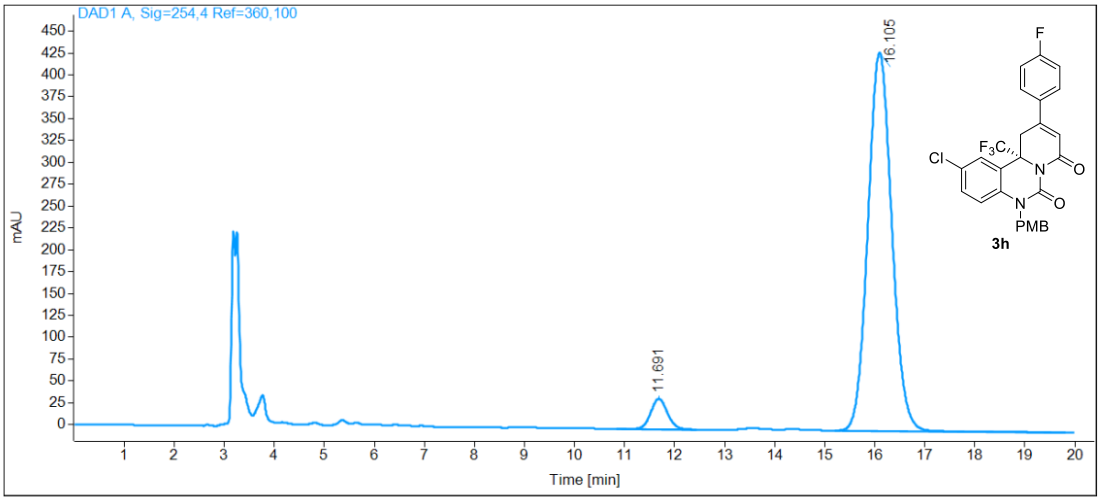


Name LQ-P4-H rac

RT [min]	Type	Area%	Area	Height	Width [min]
11.65	BB	49.94	1312.04	56.95	0.36
16.00	BB	50.06	1315.44	39.65	0.52
	Sum	100.00	2627.48		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

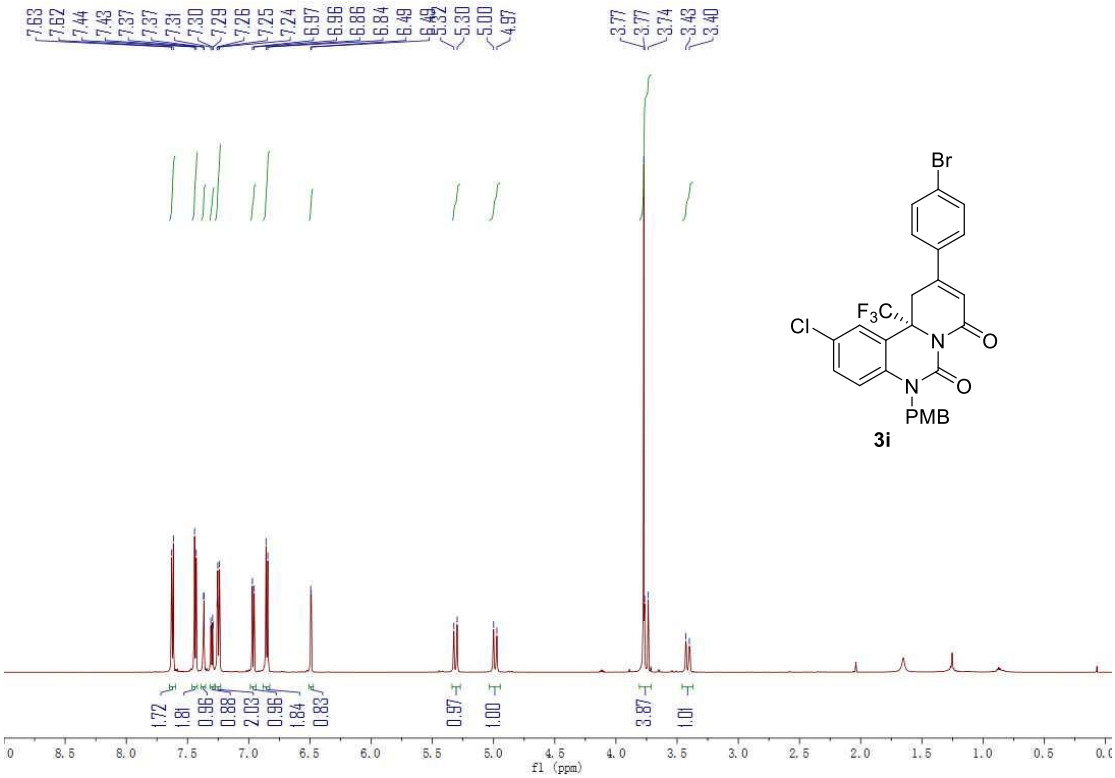
Pressure at start: 42 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



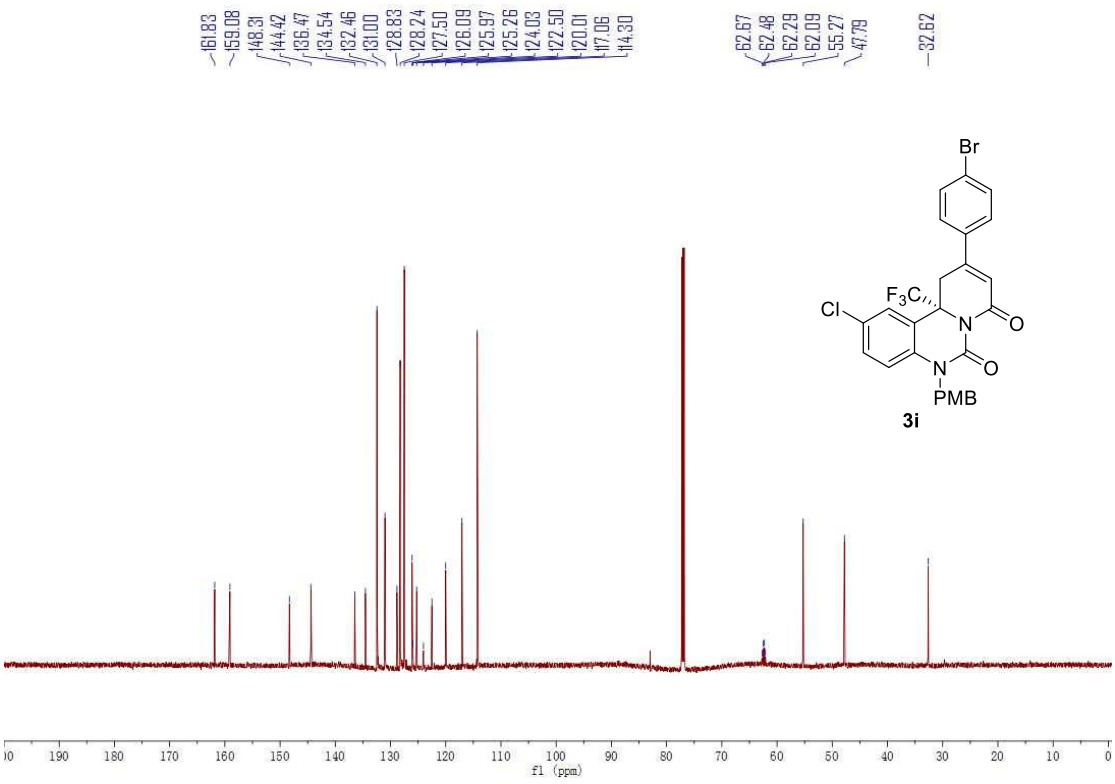
Name LQ-P4-H3

RT [min]	Type	Area%	Area	Height	Width [min]
11.69	BB	5.35	811.14	34.77	0.36
16.10	VBA	94.65	14345.18	432.70	0.51
	Sum	100.00	15156.32		

^{1}H NMR of **3i:**

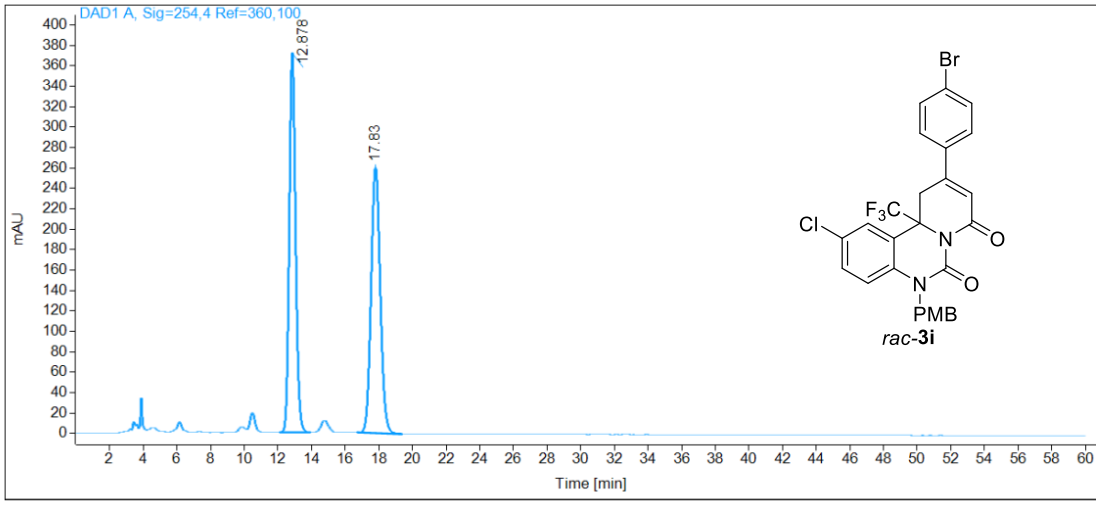


^{13}C NMR of **3i:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

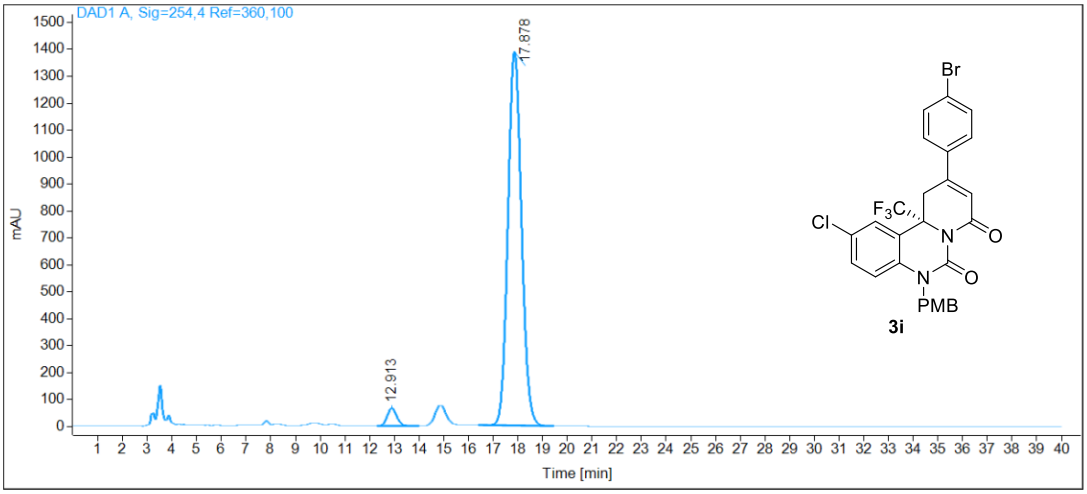


Name LQ-P4-I rac

RT [min]	Type	Area%	Area	Height	Width [min]
12.88	BB	49.87	9784.48	371.73	0.41
17.83	BB	50.13	9834.39	260.59	0.58
	Sum	100.00	19618.88		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

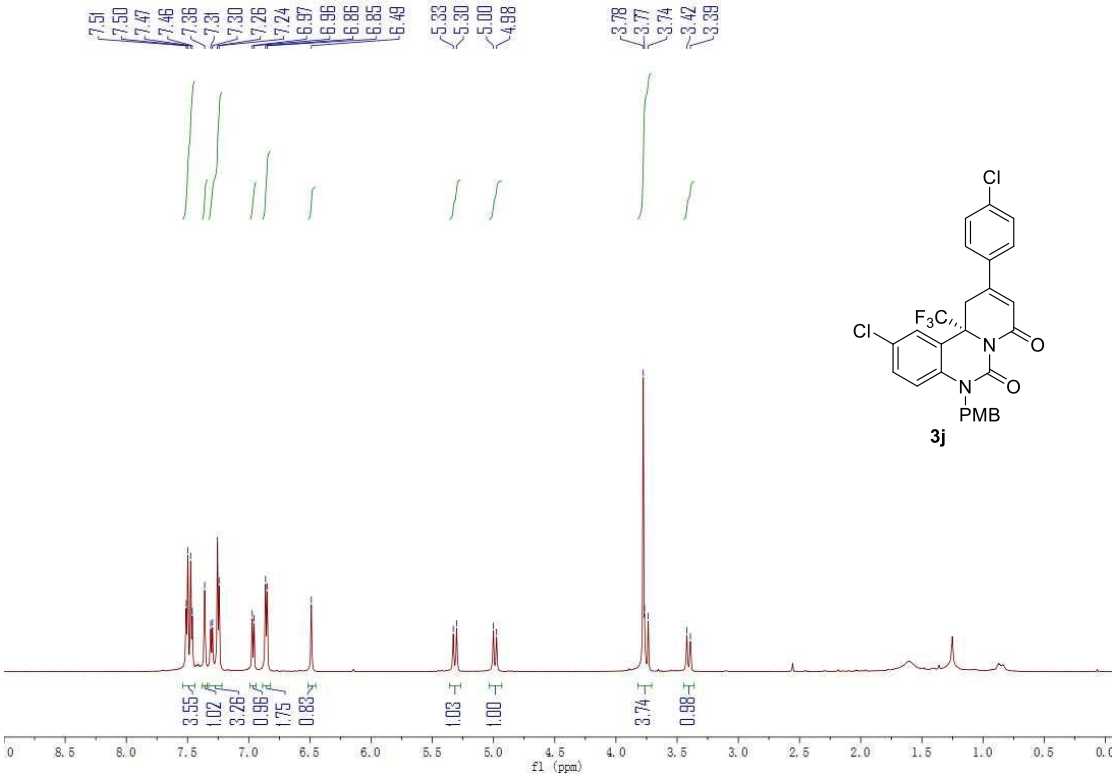
Pressure at start: 40 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



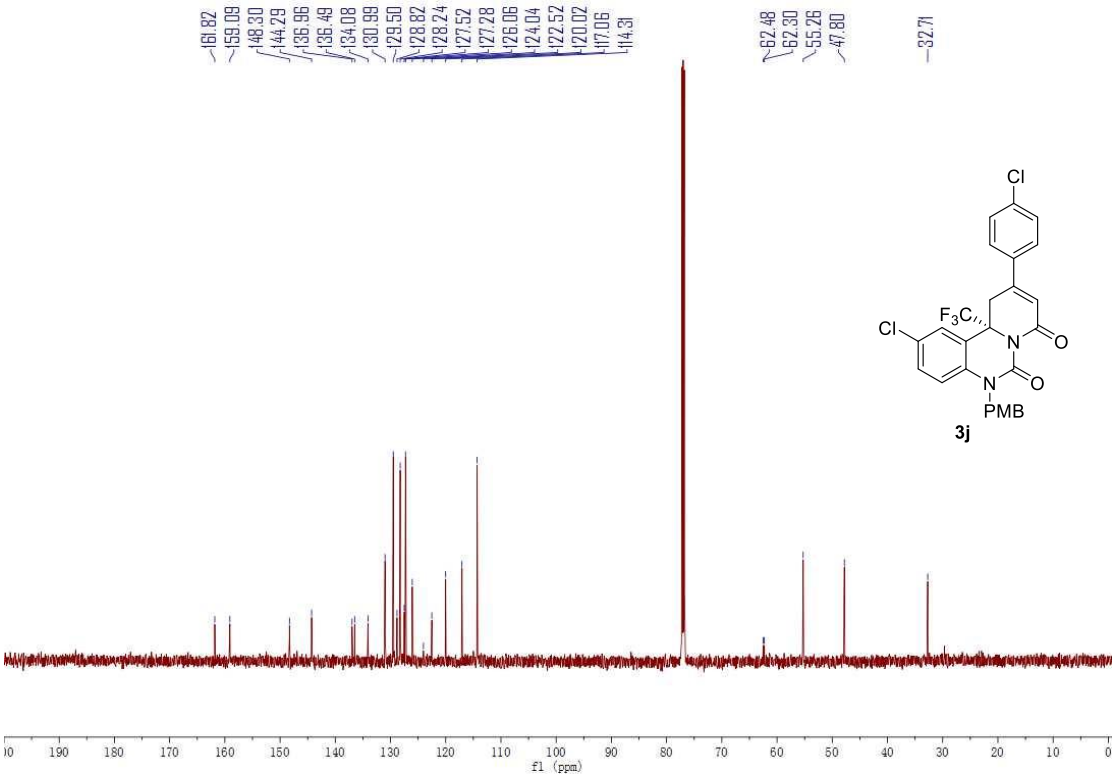
Name LQ-P4-I

RT [min]	Type	Area%	Area	Height	Width [min]
12.91	VB	3.22	1760.10	65.55	0.41
17.88	BB	96.78	52932.70	1387.95	0.60
	Sum	100.00	54692.80		

^{1}H NMR of **3j:**

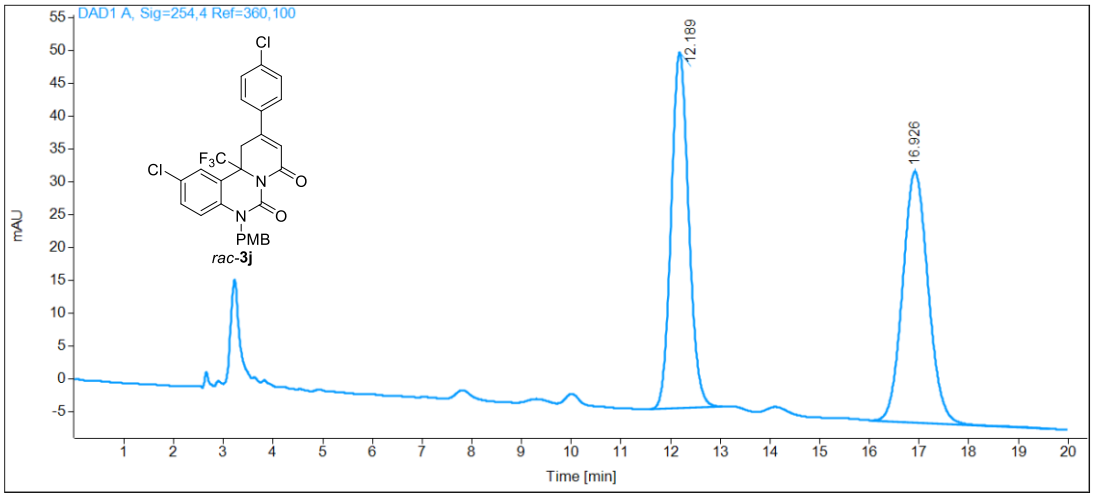


^{13}C NMR of **3j:**



Column: Chiralpak IA, (250 x 4,6) mm, 5µ, SN: IA00CE-RC036

Pressure at start: 37 bar **Start flow:** 0.700 ml/min **Column oven:** 30.02 °C

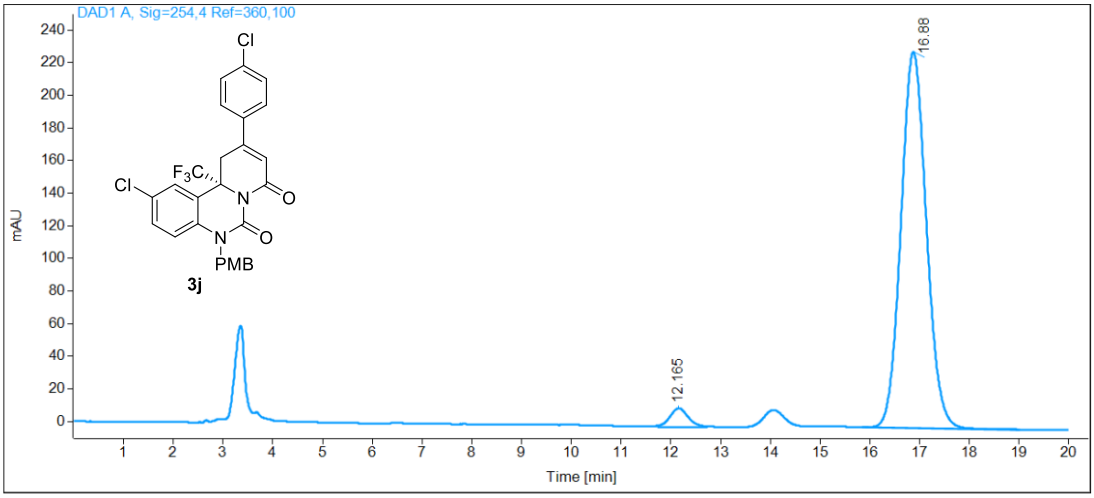


Name LQ-P4-Q-rac

RT [min]	Type	Area%	Area	Height	Width [min]
12.19	BB	49.18	1328.34	54.18	0.38
16.93	BBA	50.82	1372.53	38.20	0.56
	Sum	100.00	2700.87		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

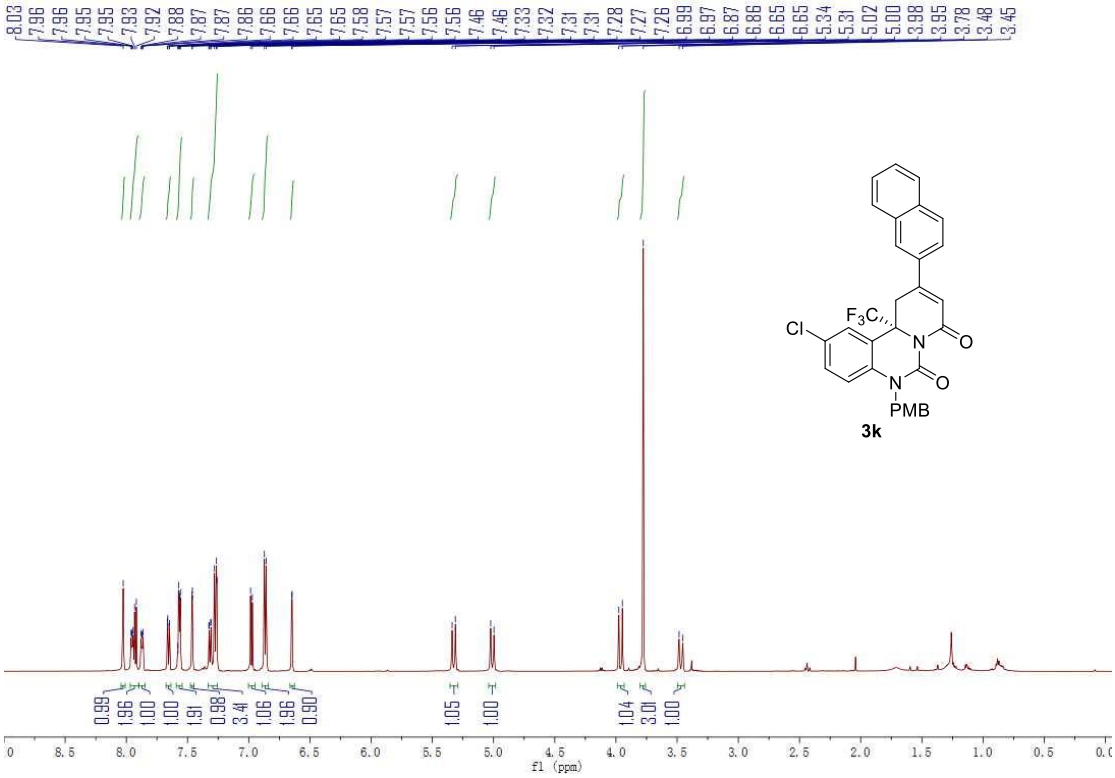
Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



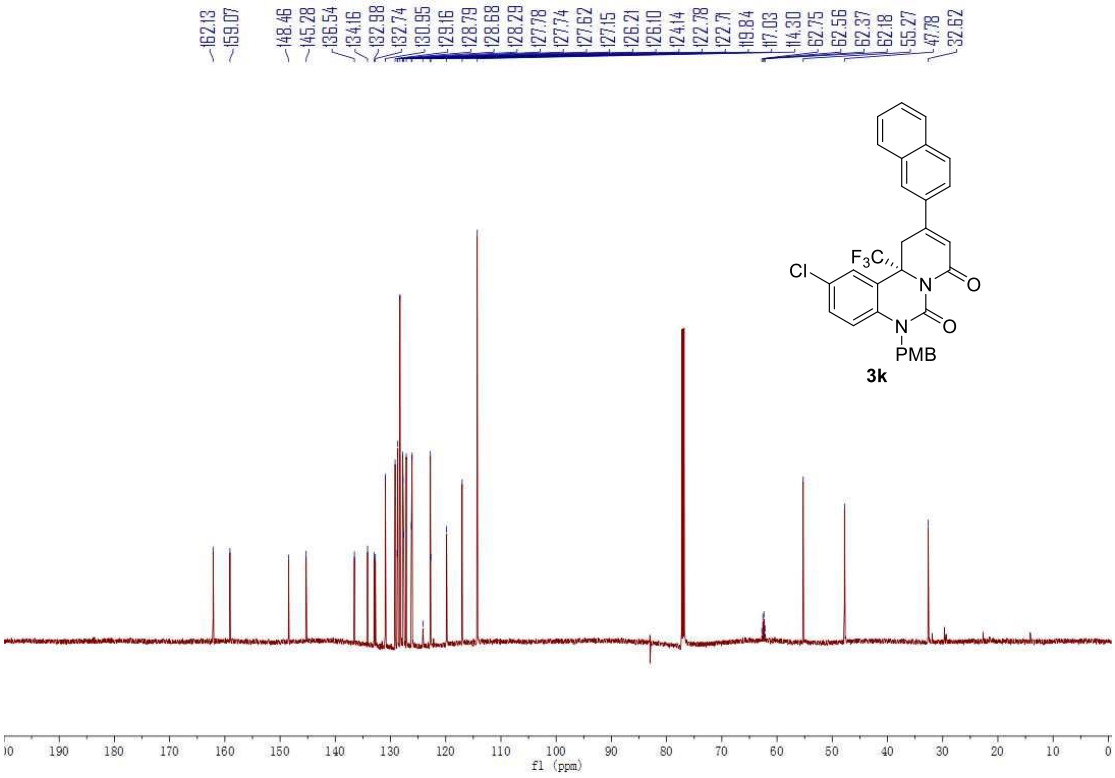
Name LQ-P4-Q

RT [min]	Type	Area%	Area	Height	Width [min]
12.16	MM	3.59	304.06	11.63	0.44
16.88	BBA	96.41	8160.61	230.58	0.55
	Sum	100.00	8464.67		

^{1}H NMR of **3k:**

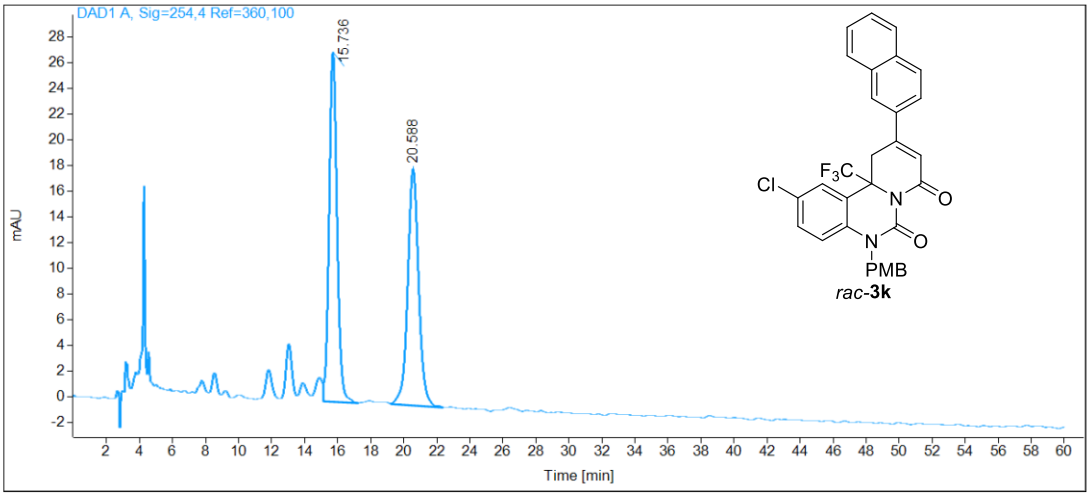


^{13}C NMR of **3k:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

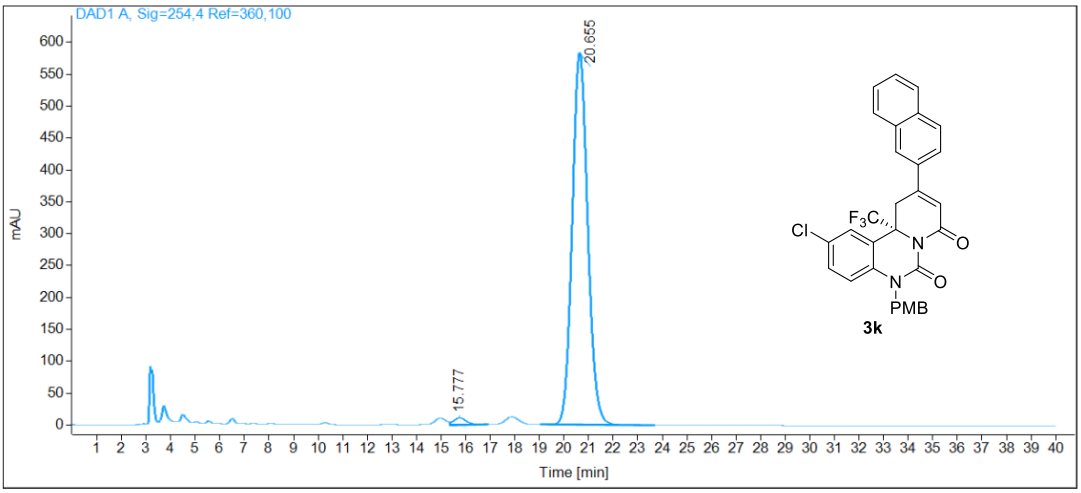
Pressure at start: 39 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C



Name LQ-P4-J rac

RT [min]	Type	Area%	Area	Height	Width [min]
15.74	VB	51.84	908.26	27.18	0.51
20.59	BB	48.16	843.80	18.37	0.70
	Sum	100.00	1752.06		

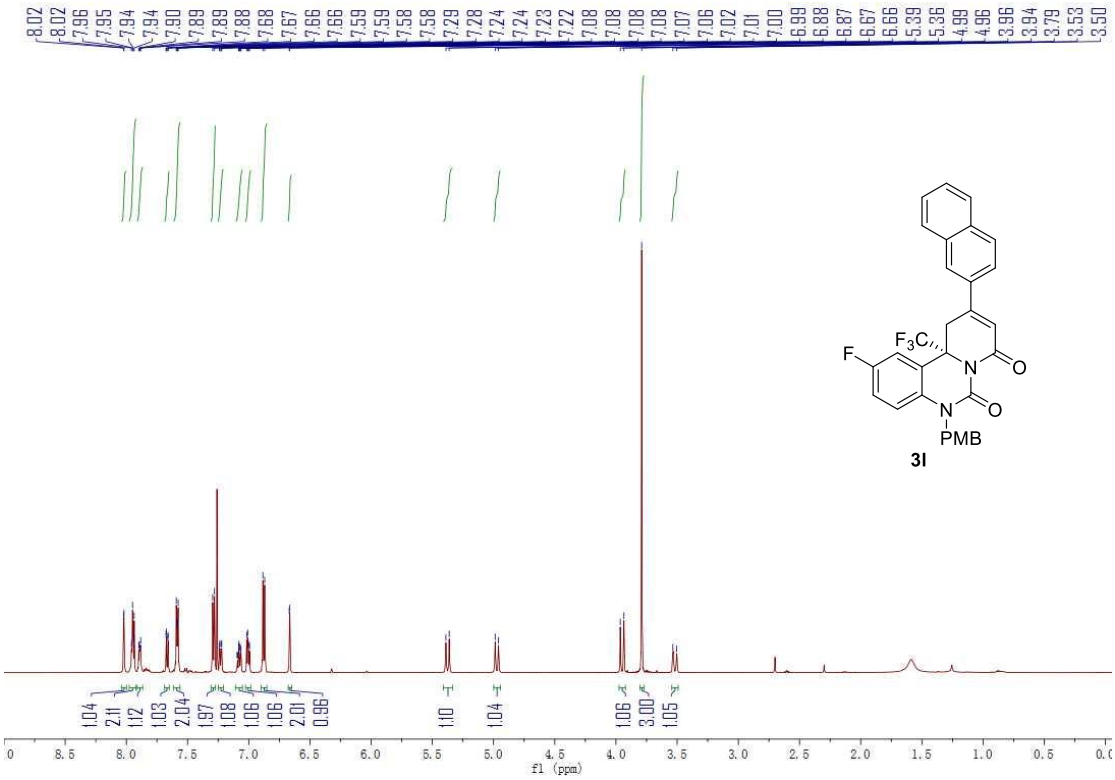
Pressure at start: 40 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C



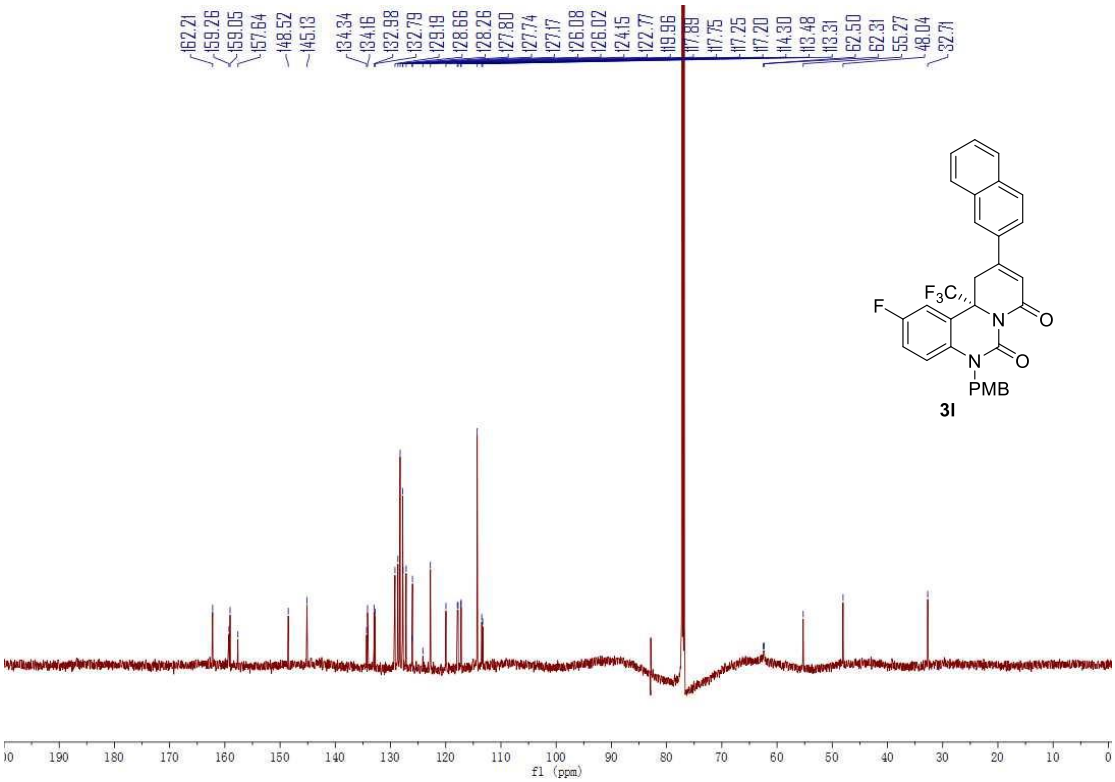
Name LQ-P4-J

RT [min]	Type	Area%	Area	Height	Width [min]
15.78	VB	1.45	376.23	10.92	0.52
20.65	BB	98.55	25578.48	583.25	0.68
	Sum	100.00	25954.70		

^{1}H NMR of **3l:**

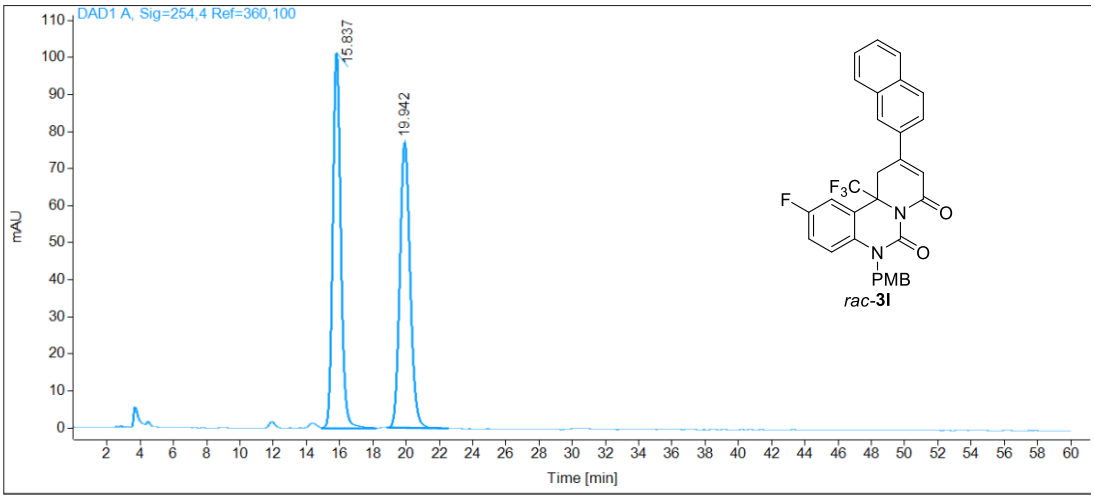


^{13}C NMR of **3l:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



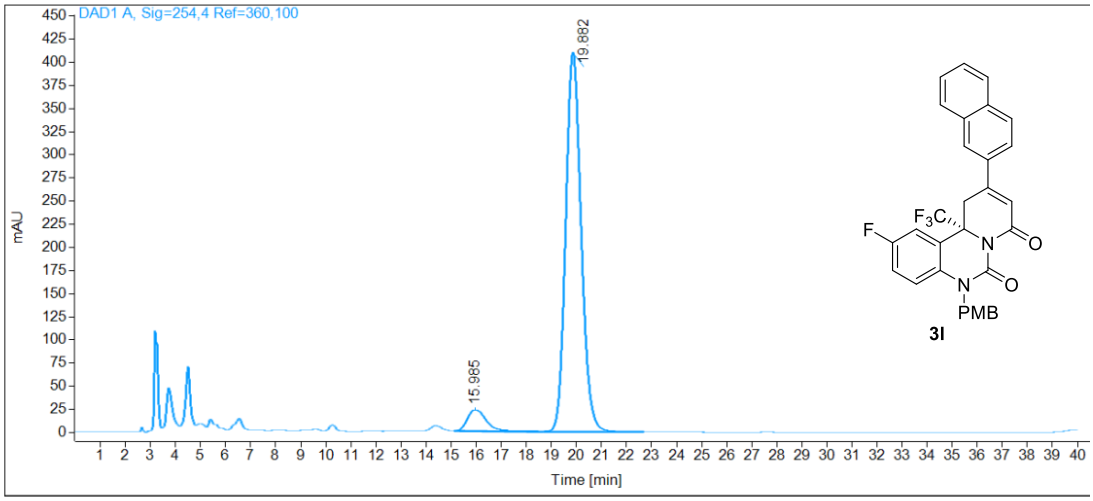
rac-**3l**

Name LQ-P4-O rac

RT [min]	Type	Area%	Area	Height	Width [min]
15.84	VB	50.56	3409.68	101.34	0.52
19.94	BB	49.44	3334.77	77.10	0.67
	Sum	100.00	6744.45		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 41 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C

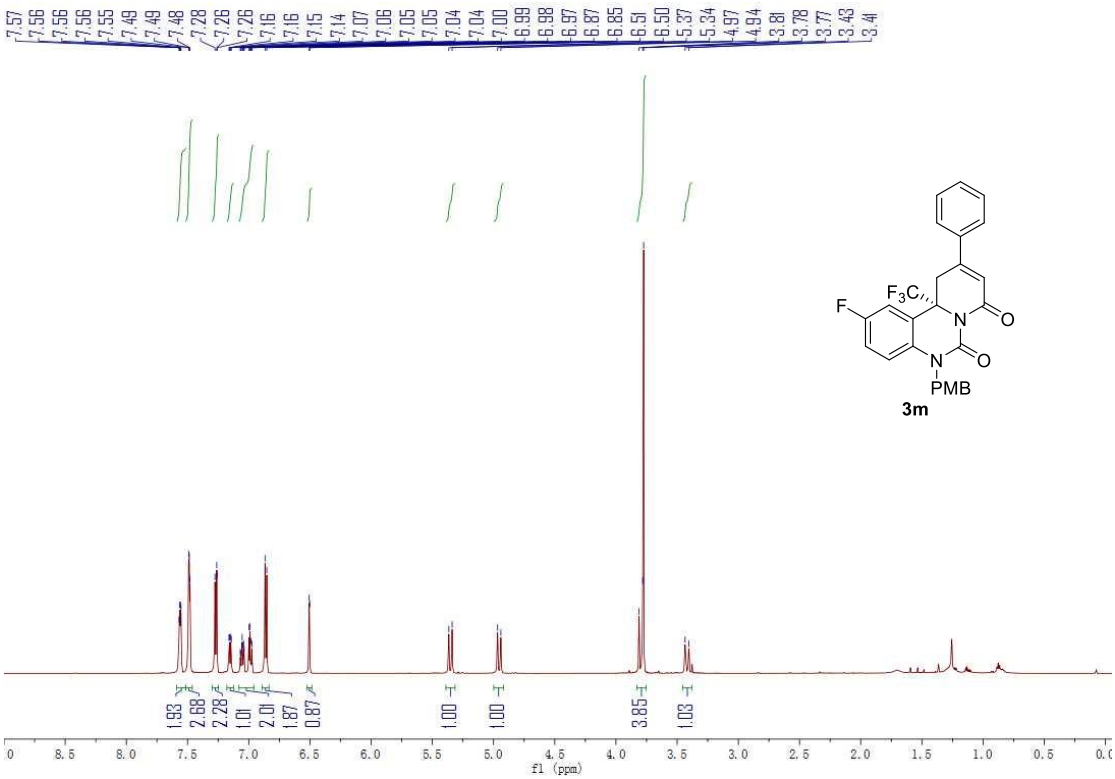


3l

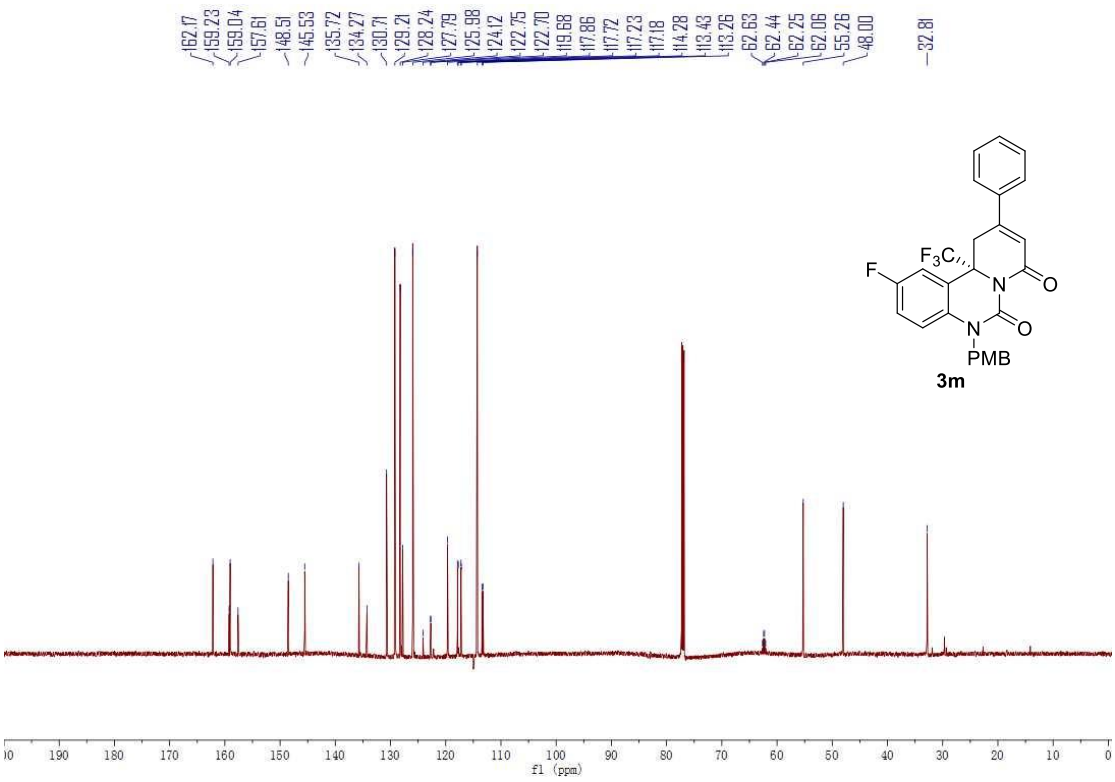
Name LQ-P4-O

RT [min]	Type	Area%	Area	Height	Width [min]
15.99	VV	6.66	1251.44	23.18	0.85
19.88	VB	93.34	17533.43	409.72	0.66
	Sum	100.00	18784.88		

^{1}H NMR of **3m:**

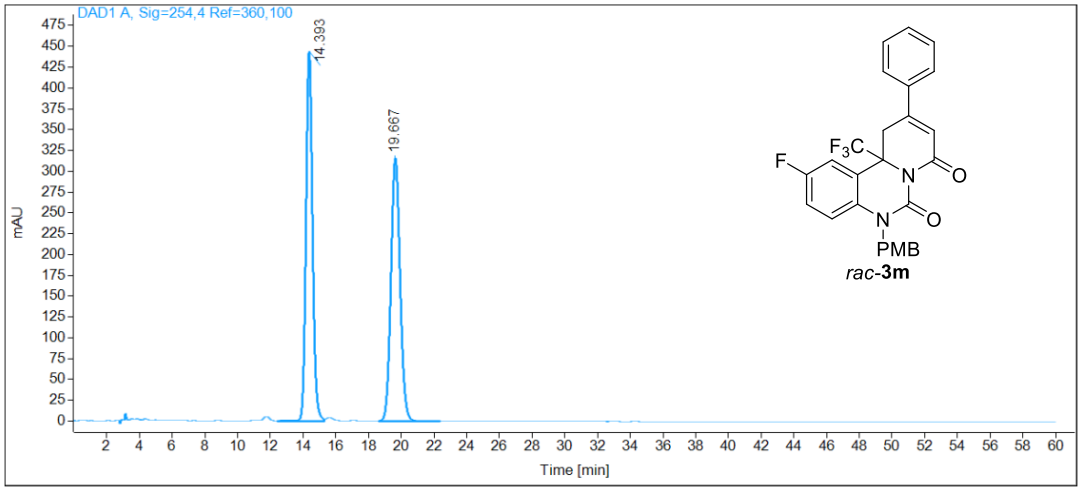


^{13}C NMR of **3m:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 38 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C

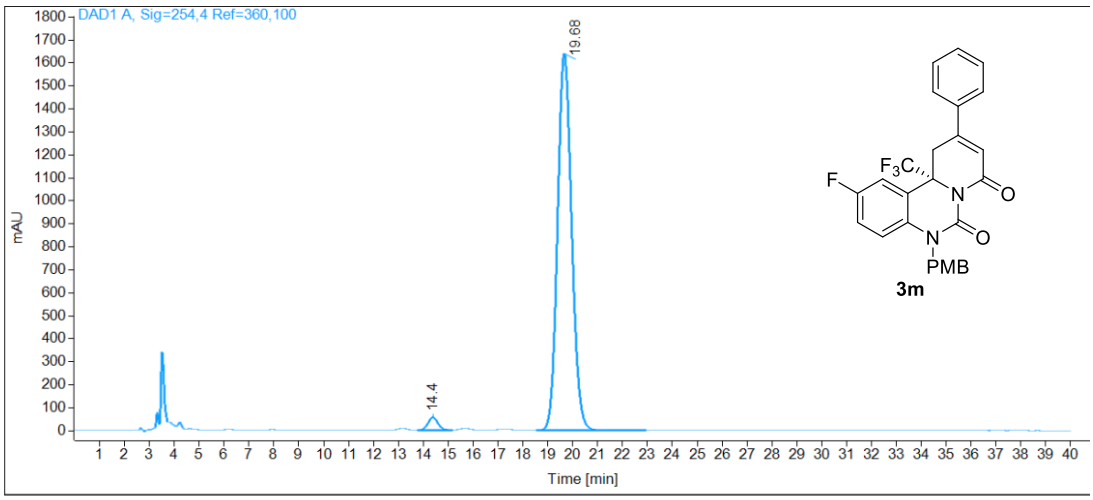


Name LQ-P4-K rac

RT [min]	Type	Area%	Area	Height	Width [min]
14.39	BV	50.11	12271.65	443.55	0.43
19.67	BB	49.89	12217.05	315.28	0.60
	Sum	100.00	24488.71		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

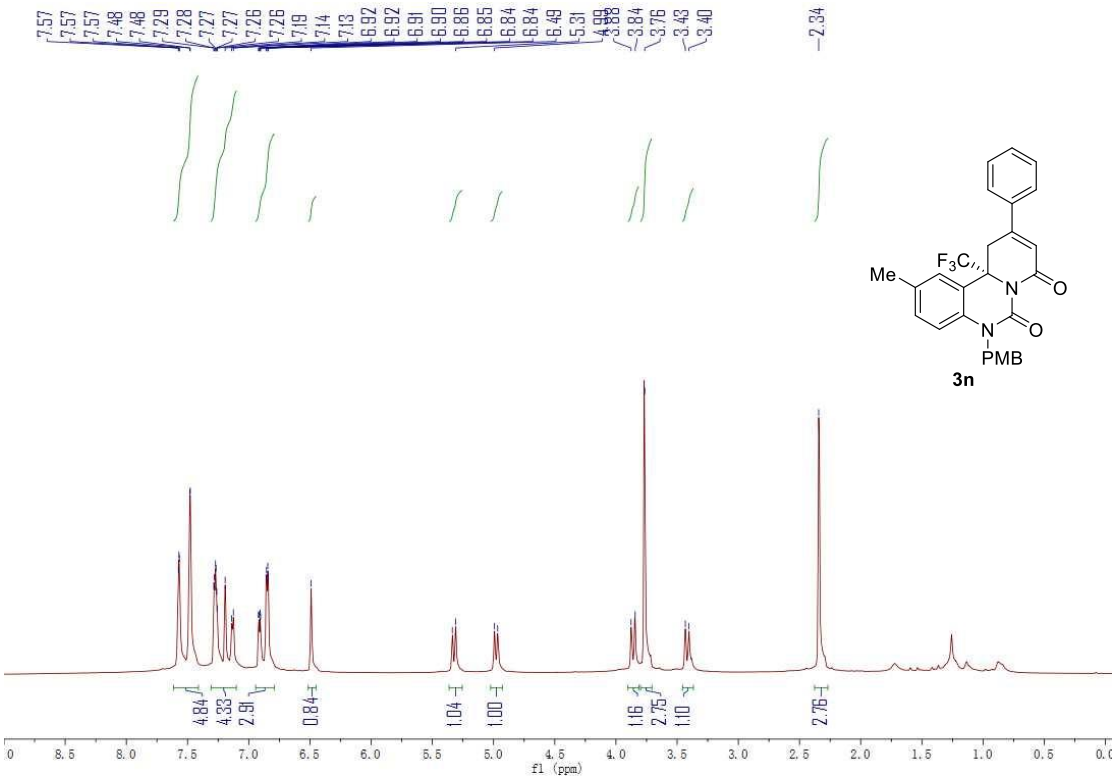
Pressure at start: 41 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



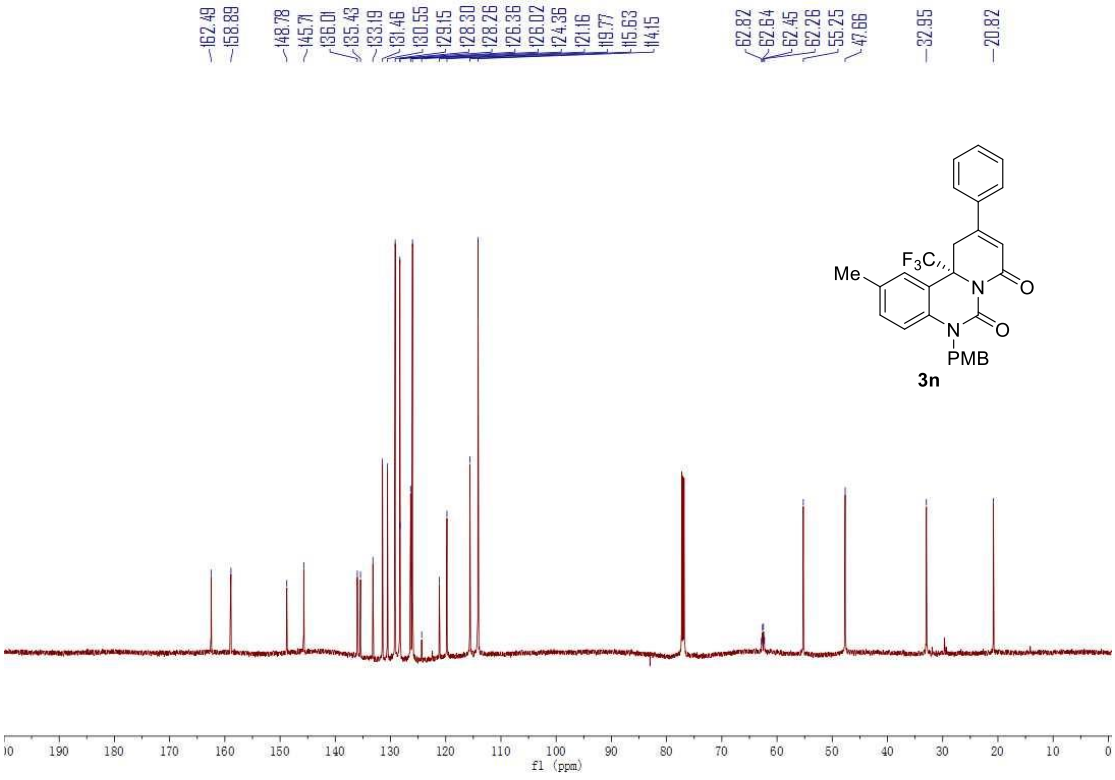
Name LQ-P4-L

RT [min]	Type	Area%	Area	Height	Width [min]
14.40	VV	2.41	1590.73	56.84	0.43
19.68	VB	97.59	64527.95	1638.42	0.61
	Sum	100.00	66118.68		

^{1}H NMR of **3n:**

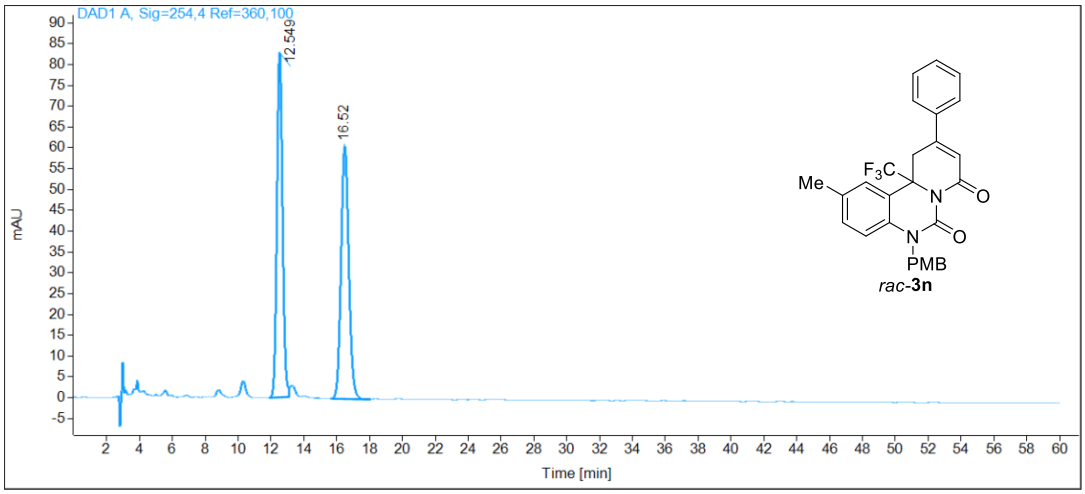


^{13}C NMR of **3n:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 39 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

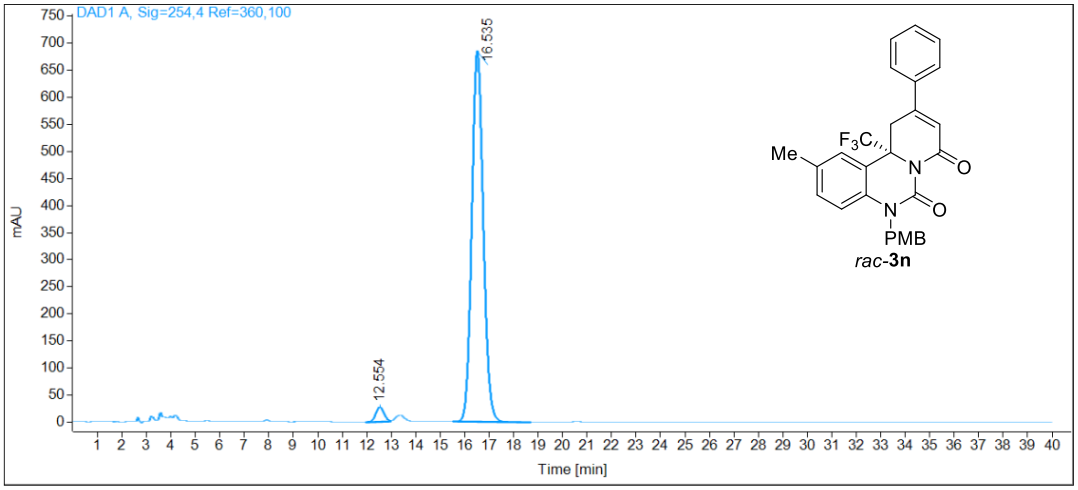


Name LQ-P4-L rac

RT [min]	Type	Area%	Area	Height	Width [min]
12.55	BV	49.83	1975.94	82.85	0.37
16.52	BB	50.17	1989.03	60.49	0.51
	Sum	100.00	3964.97		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

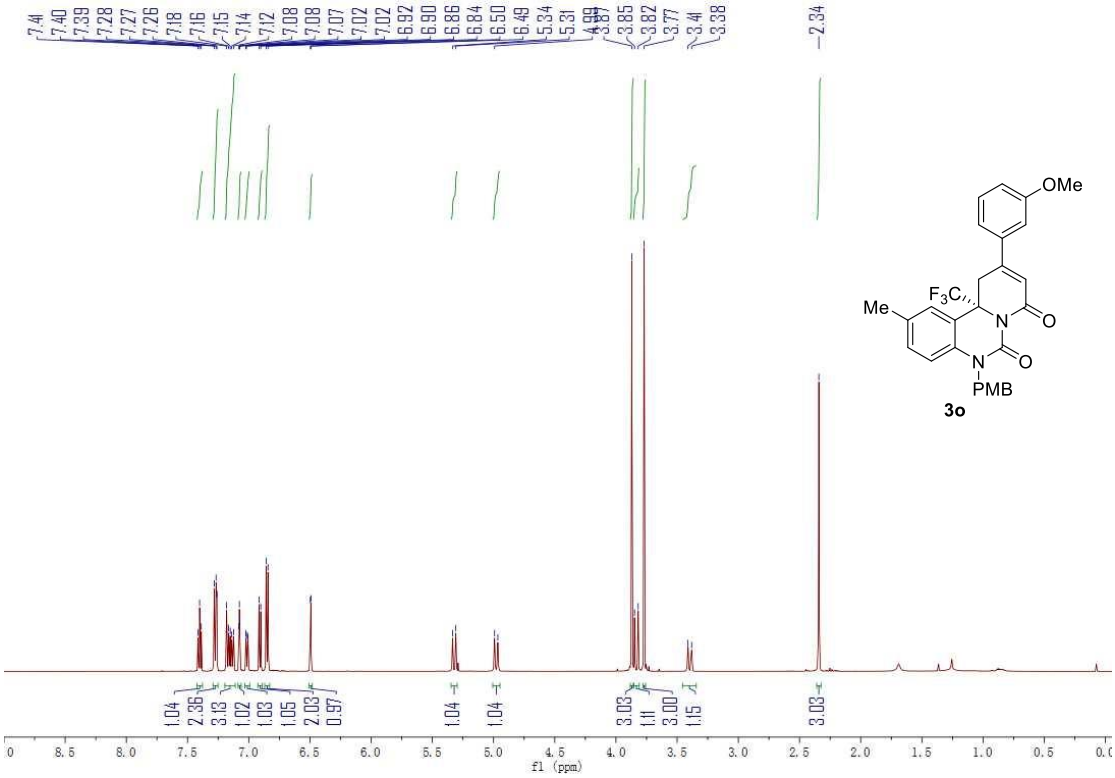
Pressure at start: 40 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C



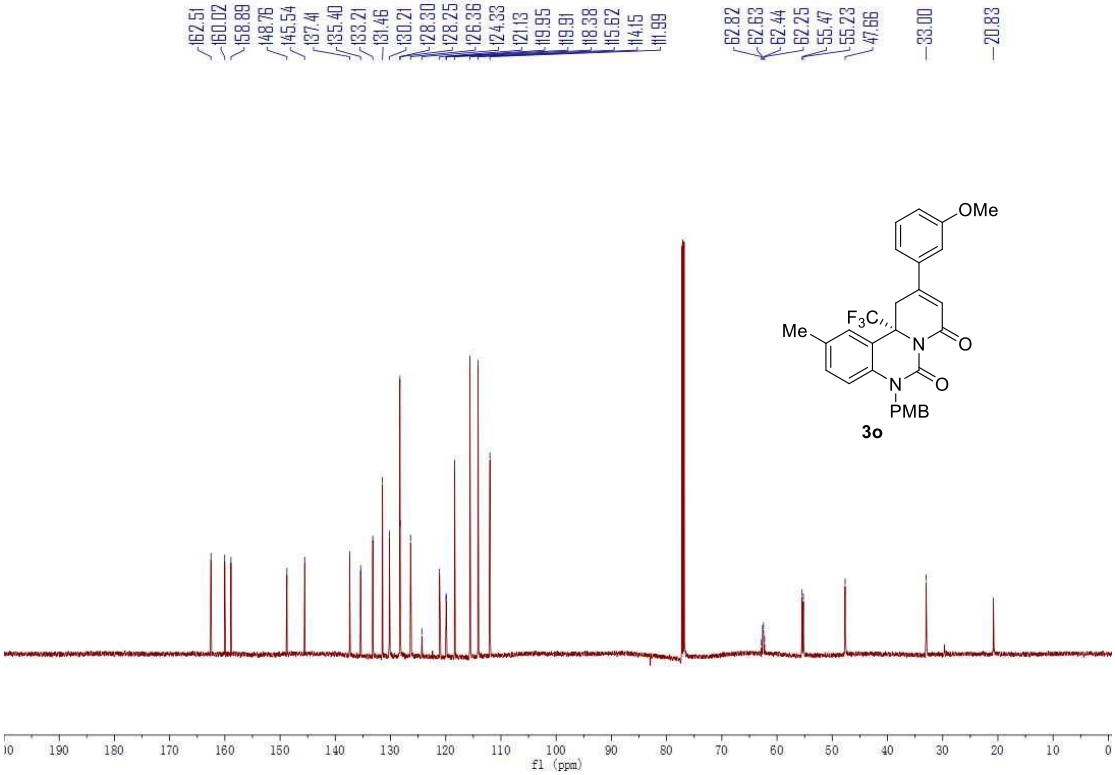
Name LQ-P4-K

RT [min]	Type	Area%	Area	Height	Width [min]
12.55	BV	2.82	649.36	27.49	0.37
16.54	BB	97.18	22393.95	685.03	0.51
	Sum	100.00	23043.31		

^{1}H NMR of **3o:**

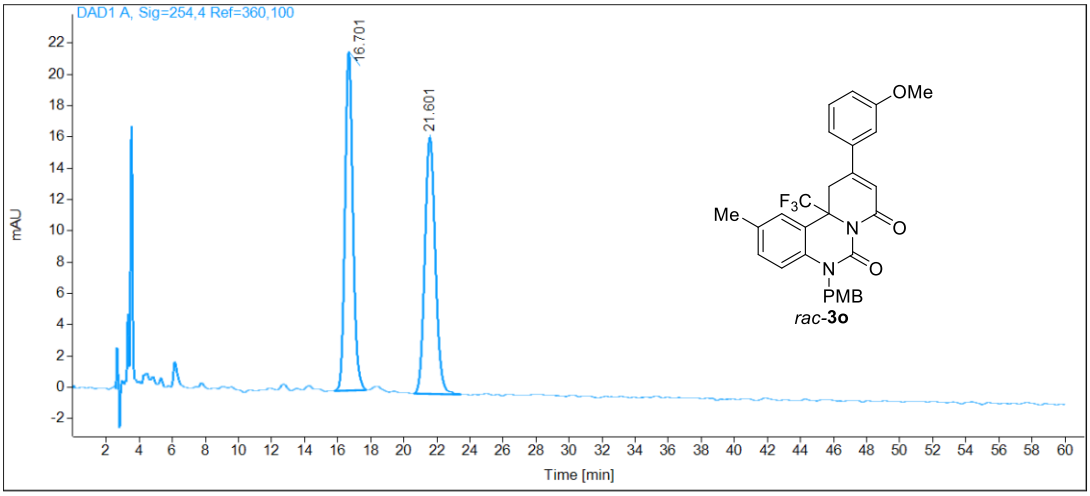


^{13}C NMR of **3o:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 39 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

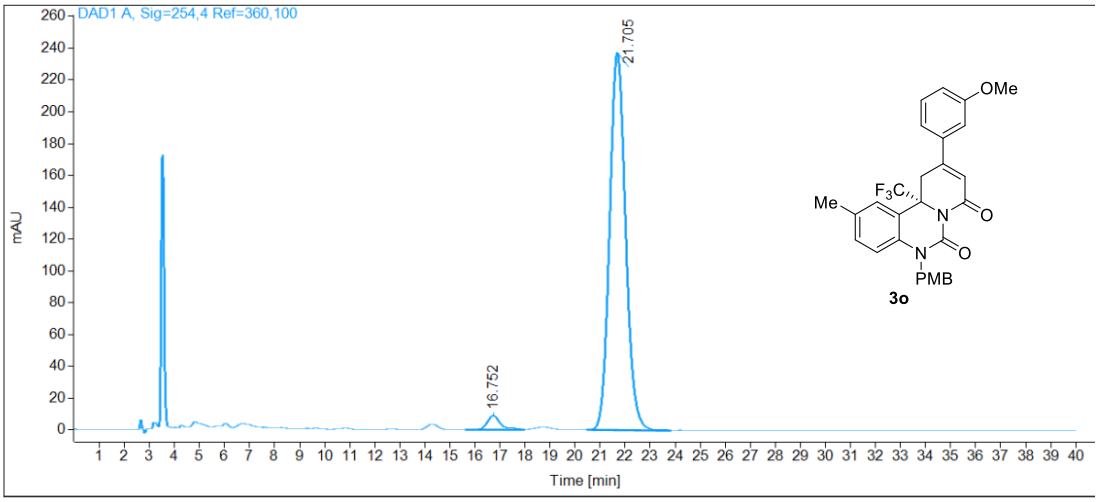


Name LQ-P4-N rac

RT [min]	Type	Area%	Area	Height	Width [min]
16.70	BB	49.65	713.52	21.65	0.51
21.60	BB	50.35	723.53	16.41	0.69
	Sum	100.00	1437.05		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

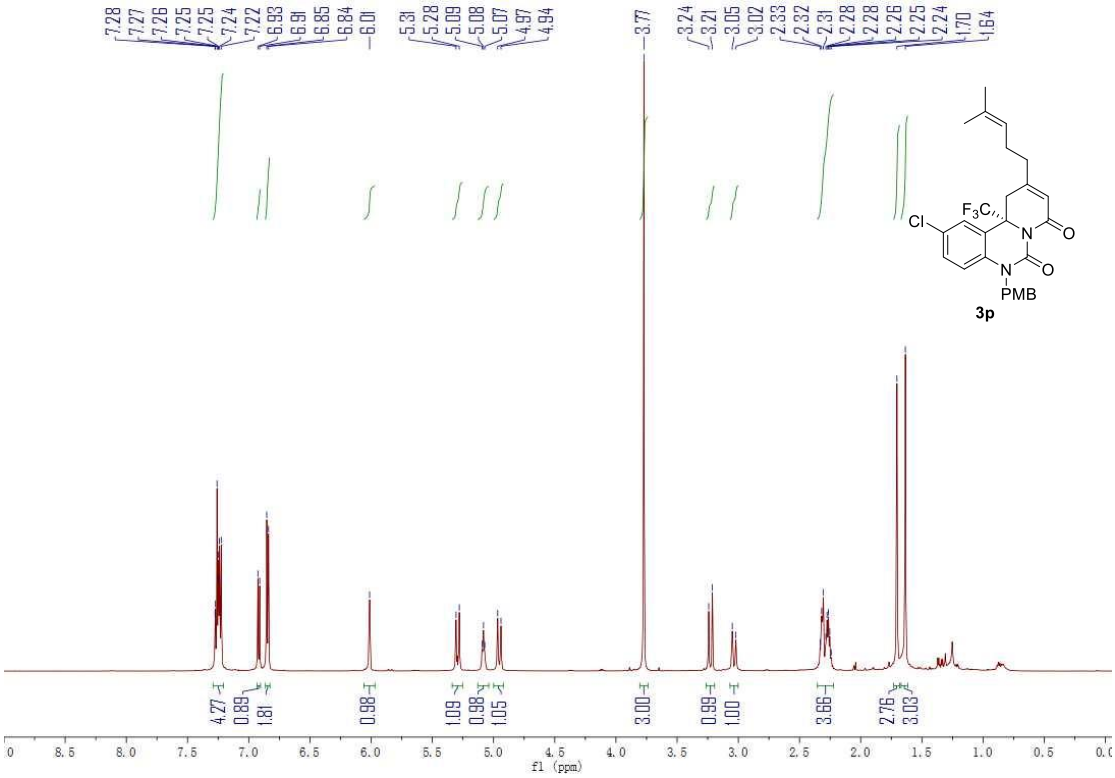
Pressure at start: 41 bar **Start flow:** 0.700 ml/min **Column oven:** 29.98 °C



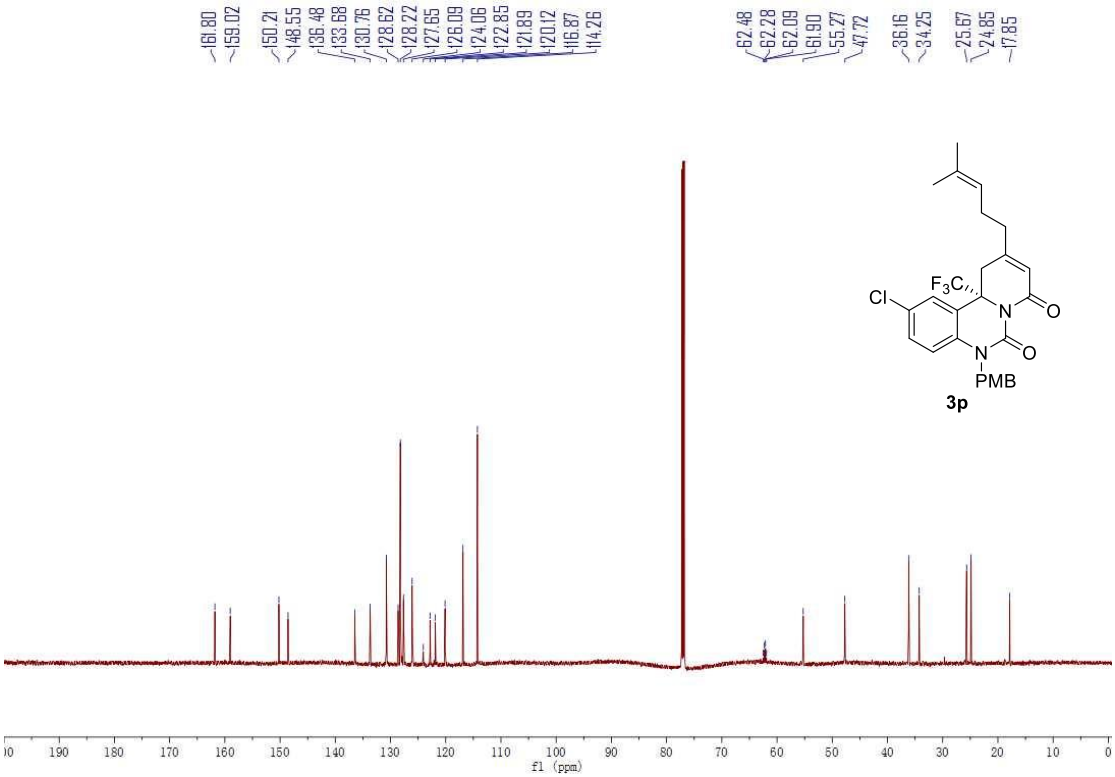
Name LQ-P4-N

RT [min]	Type	Area%	Area	Height	Width [min]
16.75	BV	3.21	348.51	8.96	0.58
21.70	BB	96.79	10522.66	237.35	0.69
	Sum	100.00	10871.17		

^{1}H NMR of **3p:**

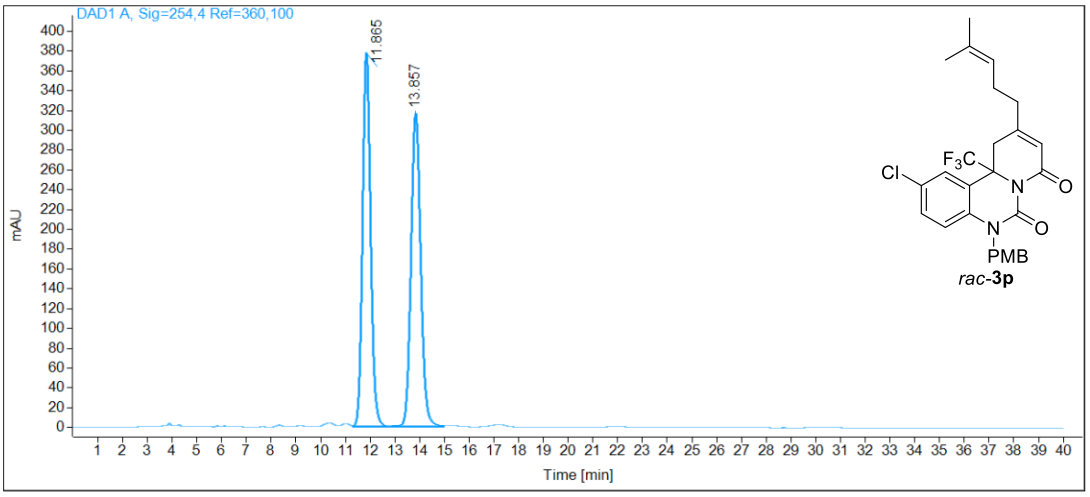


^{13}C NMR of **3p:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 41 bar **Start flow:** 0.700 ml/min **Column oven:** 30 °C

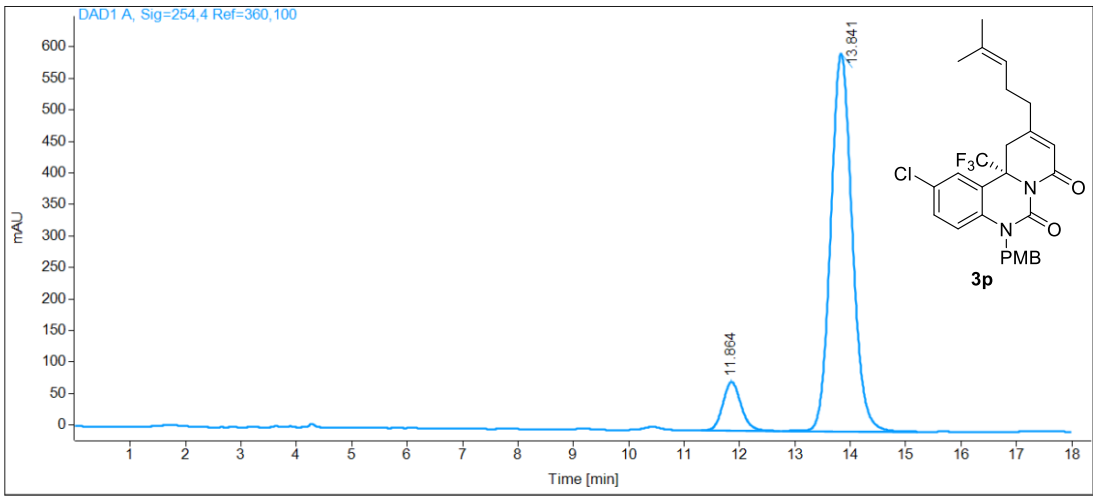


Name LQ-P4-M RAC

RT [min]	Type	Area%	Area	Height	Width [min]
11.86	VB	49.76	8516.30	377.42	0.35
13.86	BV	50.24	8597.07	316.26	0.42
	Sum	100.00	17113.37		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

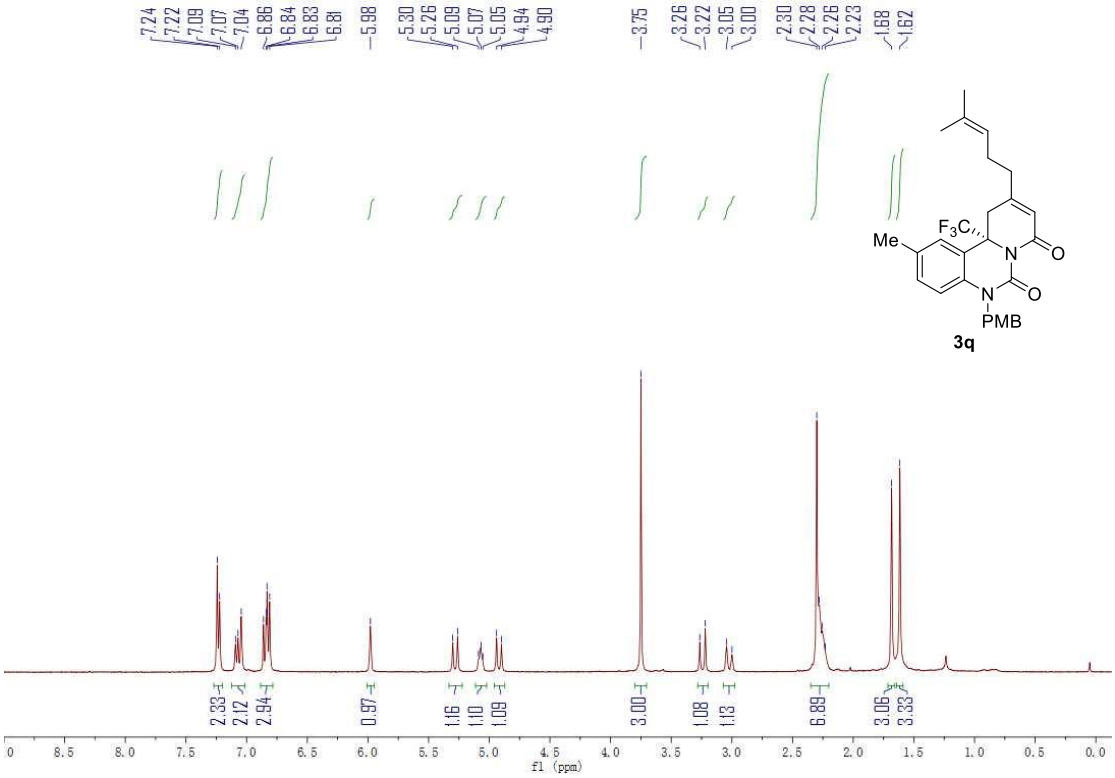
Pressure at start: 37 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C



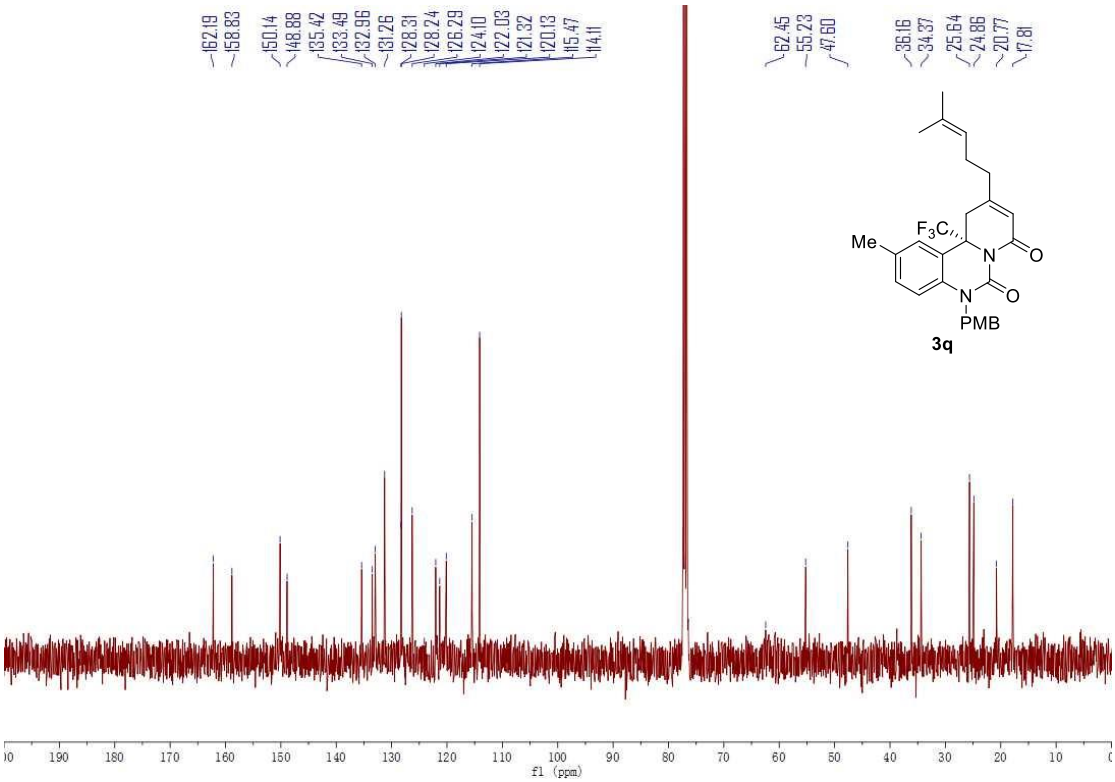
Name LQ-P4-P

RT [min]	Type	Area%	Area	Height	Width [min]
11.86	VB	9.85	1758.98	77.23	0.35
13.84	BB	90.15	16096.42	599.13	0.42
	Sum	100.00	17855.40		

^{1}H NMR of **3q:**

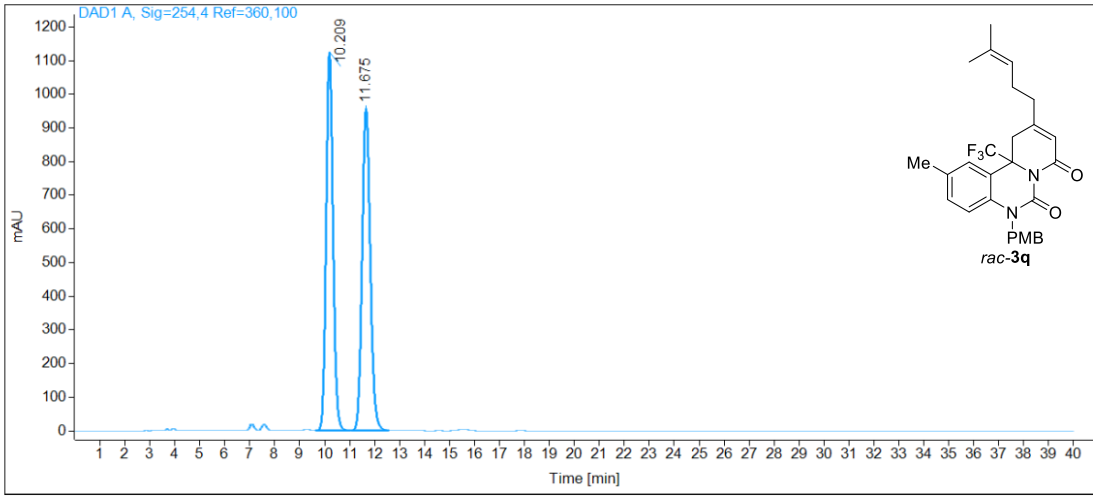


^{13}C NMR of **3q:**



Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 41 bar **Start flow:** 0.700 ml/min **Column oven:** 29.99 °C

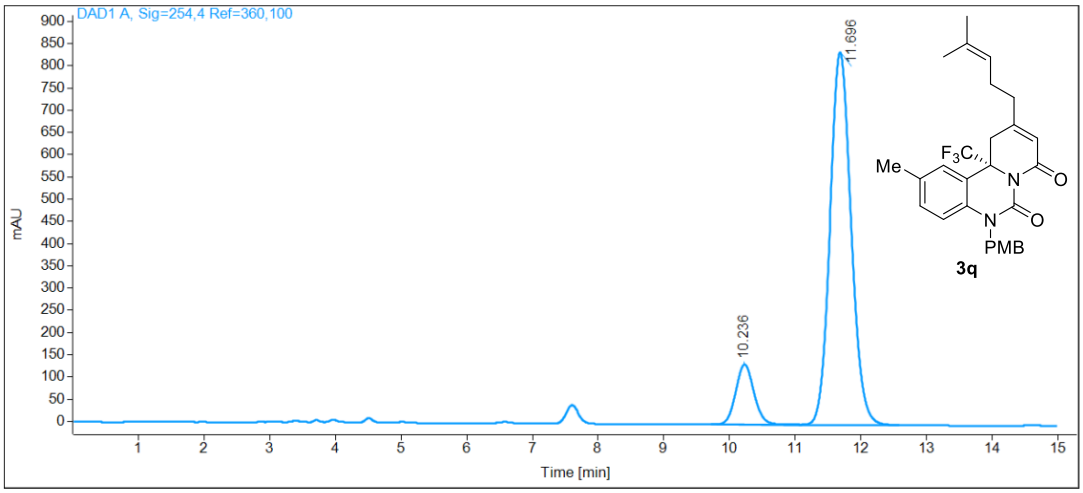


Name LQ-P4-P RAC

RT [min]	Type	Area%	Area	Height	Width [min]
10.21	VB	49.86	21598.12	1124.17	0.30
11.67	BV	50.14	21716.34	957.46	0.35
	Sum	100.00	43314.46		

Column: Chiralpak IC, (150 x 4,6) mm, 5µ, SN: IC00CD-QF015

Pressure at start: 35 bar **Start flow:** 0.700 ml/min **Column oven:** 29.98 °C



Name LQ-P4-M

RT [min]	Type	Area%	Area	Height	Width [min]
10.24	BB	12.14	2602.32	134.81	0.30
11.70	BB	87.86	18826.55	837.75	0.35
	Sum	100.00	21428.87		