Supporting Information for

Kinetic Resolution of *N*-Heterobiaryl *N*-oxides by Asymmetric C-H Olefination: An Expeditious Access to (*R*)-QUINAP

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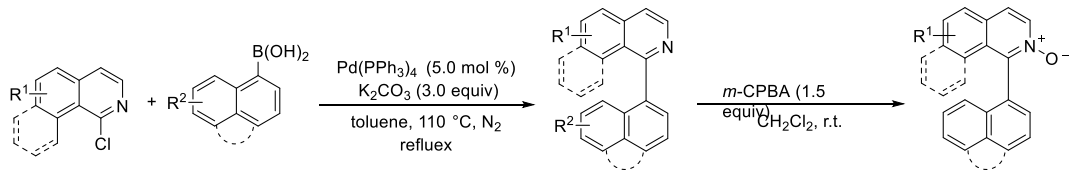
1. General information

All reactions were carried out in oven-dried tube, and monitored by thin layer chromatography (TLC). All reagents were reagent grade quality and purchased from commercial sources unless otherwise indicated. ^{1}H NMR, ^{13}C NMR, ^{19}F NMR and ^{31}P NMR spectra were recorded on Bruker Avance III HD 600 or Avance 400 MHz spectrometer. Chemical shifts are recorded in ppm relative to tetramethylsilane with the solvent resonance as the internal standard. Data are represented as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, m = multiplet), coupling constants (*J*) are in Hertz (Hz), and integration. Enantiomer excesses were determined by chiral HPLC analysis on Chiralcel ODH/IA/AD/IF/ID/AY-RH in comparison with the authentic racemates. Chiral HPLC analysis was recorded on Thermo Scientific Dionex Ultimate 3000 and Agilent Technologies 1260 Infinity. Optical rotations were recorded on Autopol Automatic Polarimeter, and were reported as follows: $[\alpha]_D^T$ (c: g/100 mL, in CH_2Cl_2). High resolution mass spectra (HRMS) were recorded on an ABI/Sciex QStar Mass Spectrometer (ESI). Melting point (m.p.) data were obtained on X-5 micro melting point apparatus. For column chromatography, silica gel (200-300 mesh) was used as the stationary phase.

2. Experimental Section

2.1 Synthesis methods for starting materials[1]

Synthesis of substrates *rac*-**1a-n**



rac-**1a'-n'** *rac*-**1a-n**

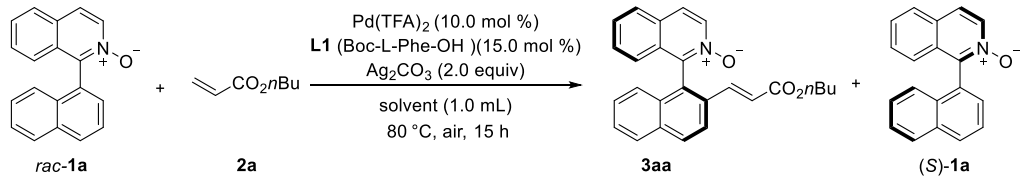
To a 100 mL round bottom flask, Aryl-Cl, Aryl boric acid (6.0 mmol), $Pd(PPh_3)_4$ (288.9 mg, 0.25 mmol), K_2CO_3 (2.0732 g, 15.0 mmol) and toluene (40 mL) were added successively. The resulting solution was degassed with N_2 for 5 min. The reaction mixture was stirred at 110 °C until the starting materials were consumed as indicated by TLC analysis. After completion, the resulting reaction mixture was slowly brought to room temperature, then quenched with H_2O (20 mL) and extracted with CH_2Cl_2 (30 mL×3). The combined organic layers were washed with brine (100

mL×3), dried over anhydrous Na_2SO_4, filtered, and evaporated under reduced pressure. The residue was purified by flash column chromatography with petroleum ether/ethyl acetate (20/1 to 10/1, v/v) as the eluant to afford the coupling products *rac*-**1a′-n′**.

To a solution of the *rac*-**1a′-n′** (3.0 mmol) in CH_2Cl_2 (25 mL) was added *m*-chloroperoxybenzoic acid (776.6 mg, 4.5 mmol). The reaction mixture was stirred at r.t. until the coupling products were consumed as indicated by TLC analysis. Then the reaction mixture was quenched with saturated Na_2CO_3 aqueous solution and extracted with CH_2Cl_2 (20 mL×3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4 and filtrated. The solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography (ethyl acetate/methanol = 10/1, v/v) to afford *rac*-**1a-n**.

2.2 Optimization of reaction conditions

Table *S1* Screening of different solvents[a]

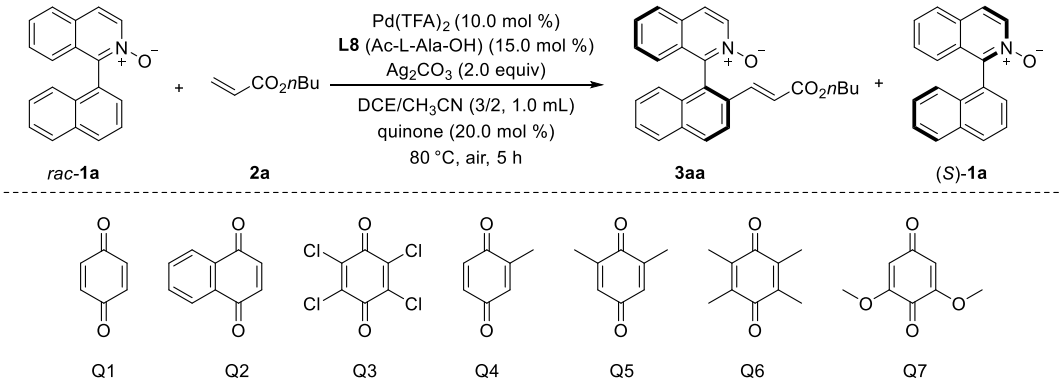


rac-**1a** **2a** **3aa** (*S*)-**1a**

entry	solvent	ee (**3aa**) (%)[b]	ee ((*S*)-**1a**) (%)[b]	conv (%)[c]	*s*[d]
1	DCE	46	41	47	4.0
2	CH_3CN	91	10	10	23.4
3	THF	60	35	37	5.6
4	DCE/ CH_3CN (1/1)	88	25	22	20.0
5	THF/ CH_3CN (1/1)	90	21	19	23.3
6	DCE/ CH_3CN (9/1)	74	37	33	9.6
7	DCE/ CH_3CN (8/2)	83	33	28	14.8
8	DCE/ CH_3CN (7/3)	85	27	24	16.0
9	DCE/ CH_3CN (6/4)	92	38	29	34.8

[a]reaction conditions: *rac*-**1a** (0.1 mmol), **2a** (0.3 mmol), $Pd(TFA)_2$ (10.0 mol %), **L1** (Boc-L-Phe-OH)(15.0 mol %), Ag_2CO_3 (2.0 equiv), solvent (1.0 mL), under air at 80 °C. [b]Determined by chiral HPLC analysis. [c]Conversion (C) = $ee_{\mathbf{1a}}/(ee_{\mathbf{1a}} + ee_{\mathbf{3aa}})$. [d]Selectivity factor, $s = \ln[(1-C)(1-ee_{\mathbf{1a}})]/\ln[(1-C)(1+ee_{\mathbf{1a}})]$.

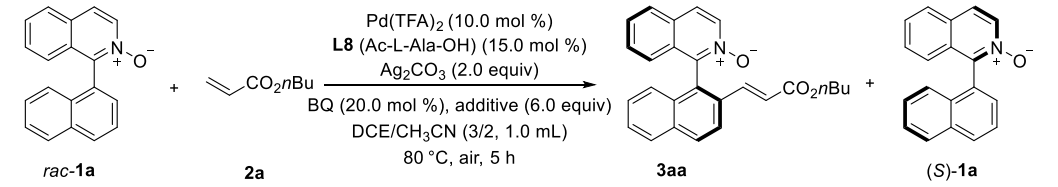
Table *S2* Screening of different quinone additives[a]



entry	quinone (20.0 mol %)	ee (**3aa**) (%)[b]	ee ((*S*)-**1a**) (%)[b]	conv (%)[c]	*s*[d]
1	Q1	93	89	49	82.6
2	Q2	96	43	31	74.9
3	Q3	90	14	13	21.8
4	Q4	95	60	39	71.9
5	Q5	94	57	38	57.5
6	Q6	93	69	43	57.0
7	Q7	93	72	44	59.5

[a] reaction conditions: *rac*-**1a** (0.1 mmol), **2a** (0.3 mmol), $Pd(TFA)_2$ (10.0 mol %), **L8** (Ac-L-Ala-OH) (15.0 mol %), Ag_2CO_3 (2.0 equiv), quinone (20.0 mol%), DCE/CH_3CN (3/2, 1.0 mL), under air at 80 °C, 5 h. [b]Determined by chiral HPLC analysis. [c]Conversion (C) = $ee_{\mathbf{1a}}/(ee_{\mathbf{1a}} + ee_{\mathbf{3aa}})$. [d]Selectivity factor, $s = \ln[(1 - C)(1 - ee_{\mathbf{1a}})]/\ln[(1 - C)(1 + ee_{\mathbf{1a}})]$.

Table *S3* Screening of different protic additives [a]

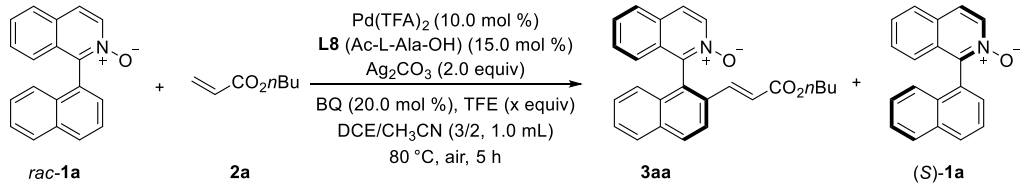


entry	additives	ee (**3aa**) (%)[b]	ee ((*S*)-**1a**) (%)[b]	conv (%)[c]	*s*[d]
1	TFE	93	92	50	90.7
2	MeOH	90	92	51	62.3
3	EtOH	90	91	50	60.2
4	*i*PrOH	92	91	50	76.3
5	HFIP	96	79	45	118.6

[a] reaction conditions: *rac*-**1a** (0.1 mmol), **2a** (0.3 mmol), $Pd(TFA)_2$ (10 mol %), **L8** (Ac-L-Ala-OH)

(15.0 mol %), Ag_2CO_3 (2.0 equiv), BQ (20.0 mol%), additive (6.0 equiv), DCE/CH_3CN (3/2, 1.0 mL), under air at 80 °C, 5 h. [b] Determined by chiral HPLC analysis. [c] Conversion (C) = $ee_{1a}/(ee_{1a} + ee_{3aa})$. [d] Selectivity factor, $s = \ln[(1 - C)(1 - ee_{1a})]/\ln[(1 - C)(1 + ee_{1a})]$.

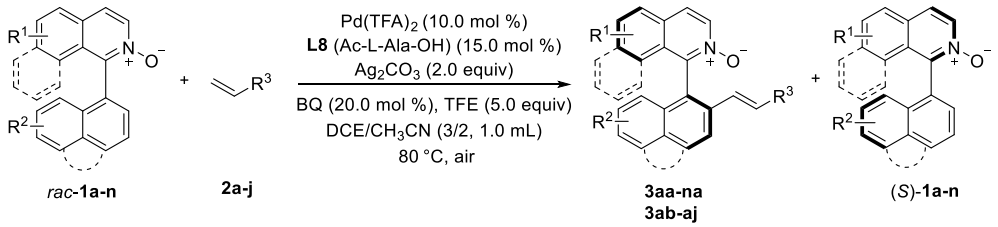
Table *S4* Screening of TFE dosages[a]



entry	TFE (x equiv)	ee (**3aa**) (%)[b]	ee ((*S*)-**1a**) (%)[b]	conv (%)[c]	*s*[d]
1	2.0	91	94	51	75.3
2	3.0	91	93	51	72.2
3	4.0	92	94	51	85.2
4	5.0	93	95	51	102.7
5	6.0	93	92	50	90.7

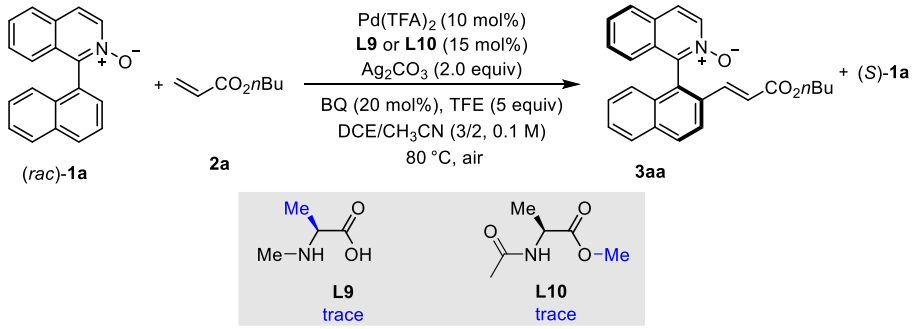
[a] reaction conditions: (*rac*)-**1a** (0.1 mmol), **2a** (0.3 mmol), $Pd(TFA)_2$ (10 mol%), **L8** (Ac-L-Ala-OH) (15.0 mol%), Ag_2CO_3 (2.0 equiv), BQ (20.0 mol%), TFE (5.0 equiv), DCE/CH_3CN (3/2, 1.0 mL), under air at 80 °C, 5 h. [b] Determined by chiral HPLC analysis. [c] Conversion (C) = $ee_{1a}/(ee_{1a} + ee_{3aa})$. [d]Selectivity factor, $s = \ln[(1 - C)(1 - ee_{1a})]/\ln[(1 - C)(1 + ee_{1a})]$.

2.3 General procedure for kinetic resolution of heterobiaryl *N*-oxides



In a test tube, *rac*-**1a-n** (0.1 mmol), BQ (2.2 mg, 0.02 mmol), **L8** (Ac-L-Ala-OH) (2.0 mg, 0.015 mmol), $Pd(TFA)_2$ (3.3 mg, 0.01 mmol), and Ag_2CO_3 (55.2 mg, 0.2 mmol) were added. Then, DCE/CH_3CN (3/2, v/v, 1.0 mL), **2a-j** (0.3 mmol, 3.0 equiv), and TFE (36 μL, 0.5 mmol) were added successively. The reaction was stirred under air at 80 °C for 5 h. After the reaction was complete (monitoring by TLC), the reaction mixture was filtered through a pad of celite. Subsequently, the filtrate was concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1/10 to 1/20, v/v) to give the products **3aa-la/3ab-aj** and recovered (*S*)-**1a-n**.

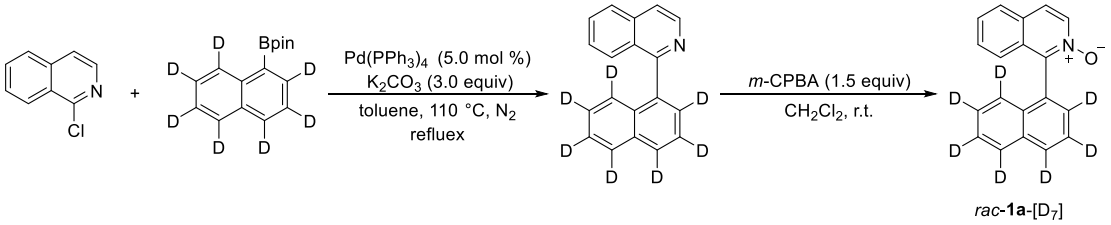
2.4 Control experiments



In a test tube, *rac*-**1a** (0.1 mmol), BQ (2.2 mg, 0.02 mmol), **L9** or **L10** (0.015 mmol), $Pd(TFA)_2$ (3.3 mg, 0.01 mmol), and Ag_2CO_3 (55.2 mg, 0.2 mmol) were added. Then, DCE/CH_3CN (3/2, v/v, 1.0 mL), **2a** (0.3 mmol, 3.0 equiv), and TFE (36 μL, 0.5 mmol) were added successively. The reaction was stirred under air at 80 °C for 5 h. The reaction was monitored by TLC, and no desired product was observed.

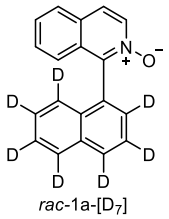
2.5 Kinetic isotope effects (KIE) studies

2.5.1 Synthesis of substrates *rac*-**1a**-$[D_7]$[2]



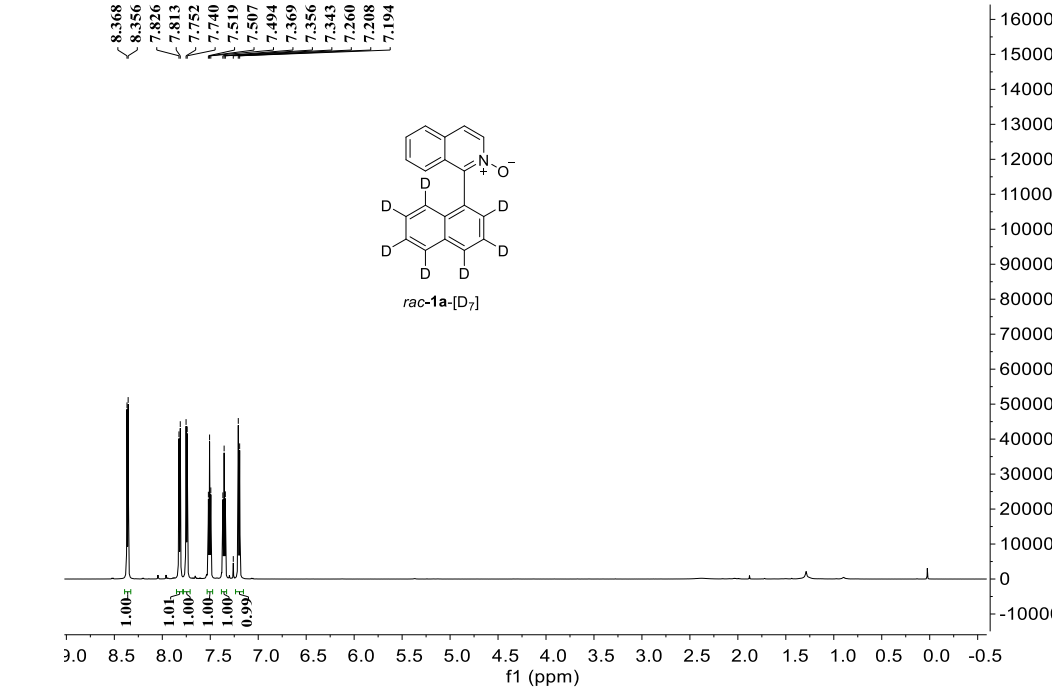
According the method for the preparation of *rac*-**1a**, *rac*-**1a**-$[D_7]$ was synthesized.

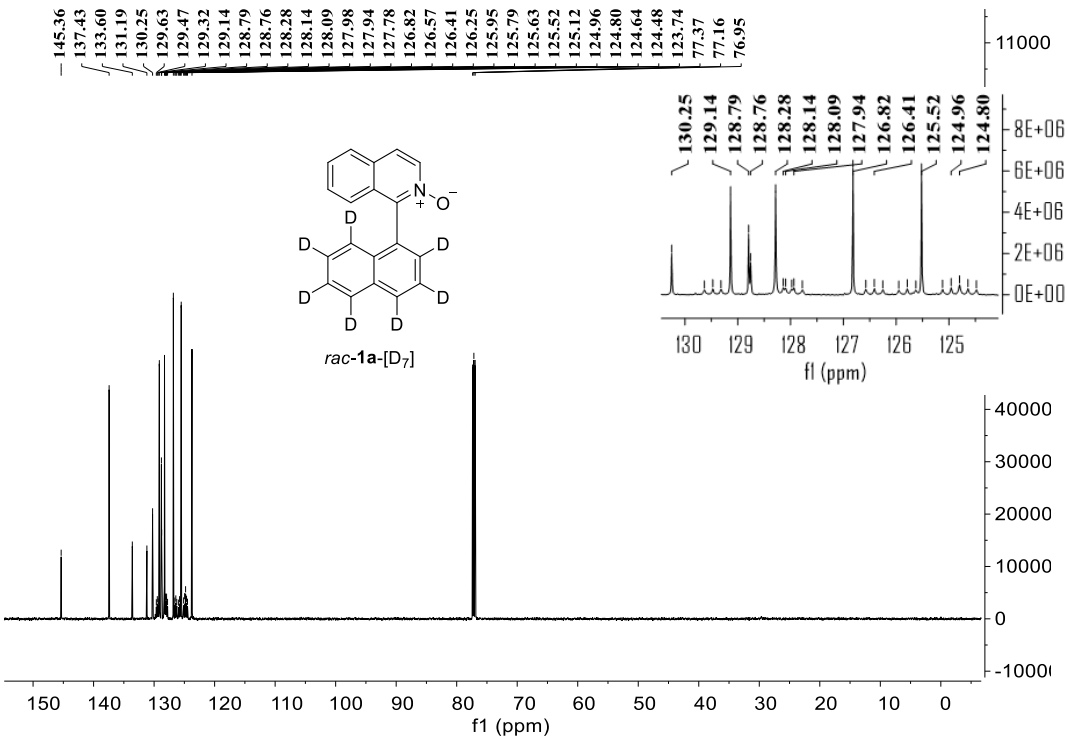
1-(naphthalen-1-yl-d7)isoquinoline-*N*-oxide (*rac*-1a-[D_7])



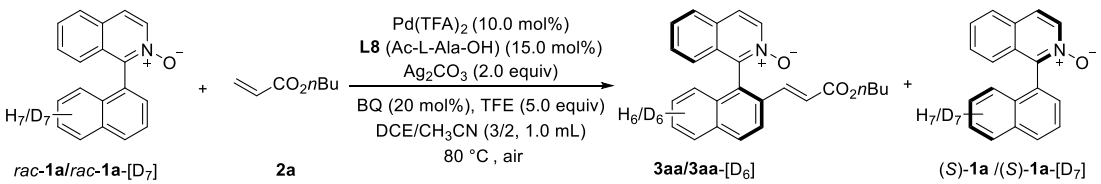
Foamy solid, R_f = 0.30 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.2 Hz, 1H), 7.82 (d, *J* = 7.8 Hz, 1H), 7.75 (d, *J* = 7.2 Hz, 1H), 7.51 (d, *J* = 7.8 Hz, 1H), 7.36 (d, *J* = 7.8 Hz, 1H), 7.20 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 145.4, 137.4, 133.6, 131.2, 130.3, 129.5 (t, *J* = 24.0 Hz), 129.1, 128.8, 128.8, 128.3, 128.0 (m), 126.8, 126.4 (t, *J* = 24.0 Hz), 125.8 (t, *J* = 24.0 Hz), 125.5, 124.8 (m), 123.7. **HRMS** (ESI): exact mass calcd for $C_{19}H_7D_7NO^+$ $(M+H)^+$

requires m/z 279.1509, found m/z 279.1511.





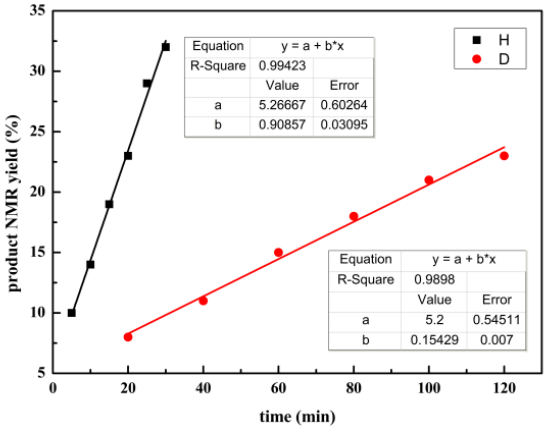
2.5.2 Kinetic isotope effect experiment



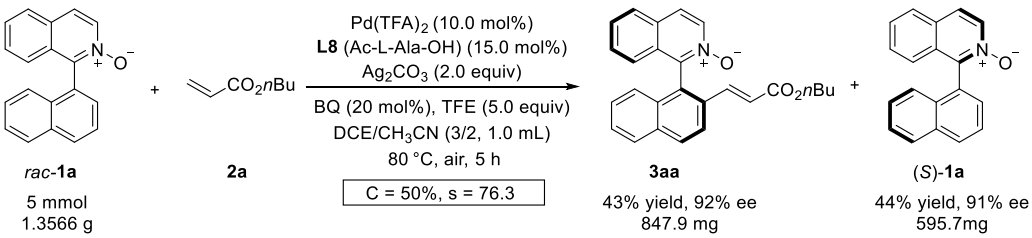
In six parallel tubes, *rac*-**1a** (27.1 mg, 0.1 mmol), BQ (2.2 mg, 0.02 mmol), **L8** (Ac-L-Ala-OH) (2.0 mg, 0.015 mmol), $Pd(TFA)_2$ (3.3 mg, 0.01 mmol), and Ag_2CO_3 (55.2 mg, 0.2 mmol) were added. Then, DCE/CH_3CN (6/4, v/v, 1 mL), **2a** (43 μL 0.3 mmol), and TFE (36 μL, 0.5 mmol) were added successively. The reaction was stirred under air at 80 °C. These reactions were stopped at the time of 5 min, 10 min, 15 min, 20 min, 25 min and 30 min successively. In the other six test tube, *rac*-**1a**-[D_7] (27.8 mg, 0.1 mmol) was used instead *rac*-**1a**. These reactions were stopped at the time of 20 min, 40 min, 60 min, 80 min, 100 min and 120 min successively. The corresponding yield of each product was determined by ^1H NMR analysis with 1,3,5-trimethoxybenzene as the internal standard.

The corresponding data was listed below. KIE value = k_H/k_D = 0.90857/ 0.15429 = 5.89

t/min	**3aa** yield (%)	**3aa**-[D_6] yield (%)
5	10	/
10	14	/
15	19	/
20	23	8
25	29	/
30	32	/
40	/	11
60	/	15
80	/	18
100	/	21
120	/	23

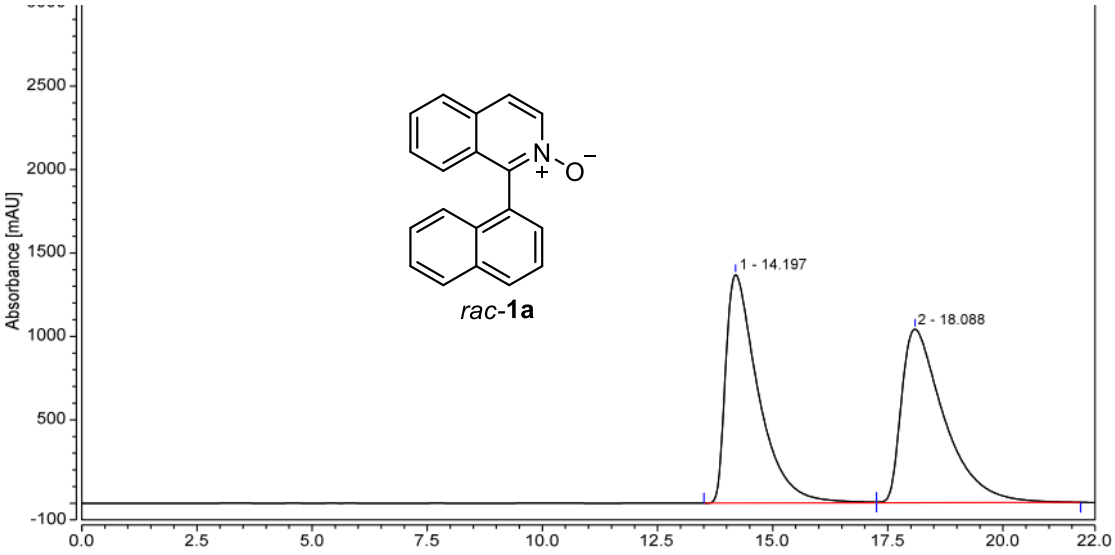


2.6 Gram-scale reaction

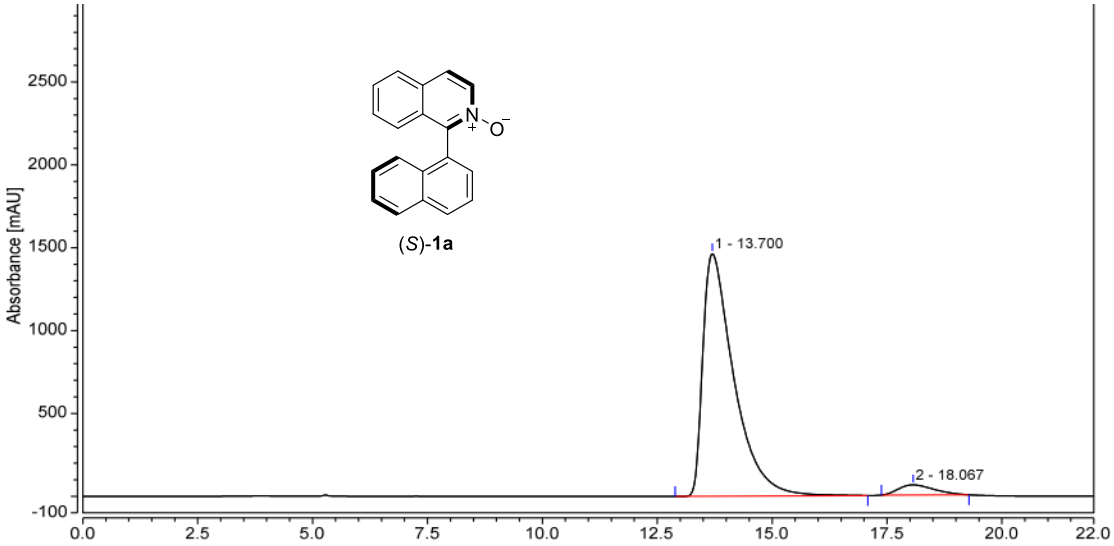


In a pressure flask, *rac*-**1a** (1.3566 g, 5.0 mmol), BQ (0.1081 g, 1.0 mmol), **L8** (Ac-L-Ala-OH)

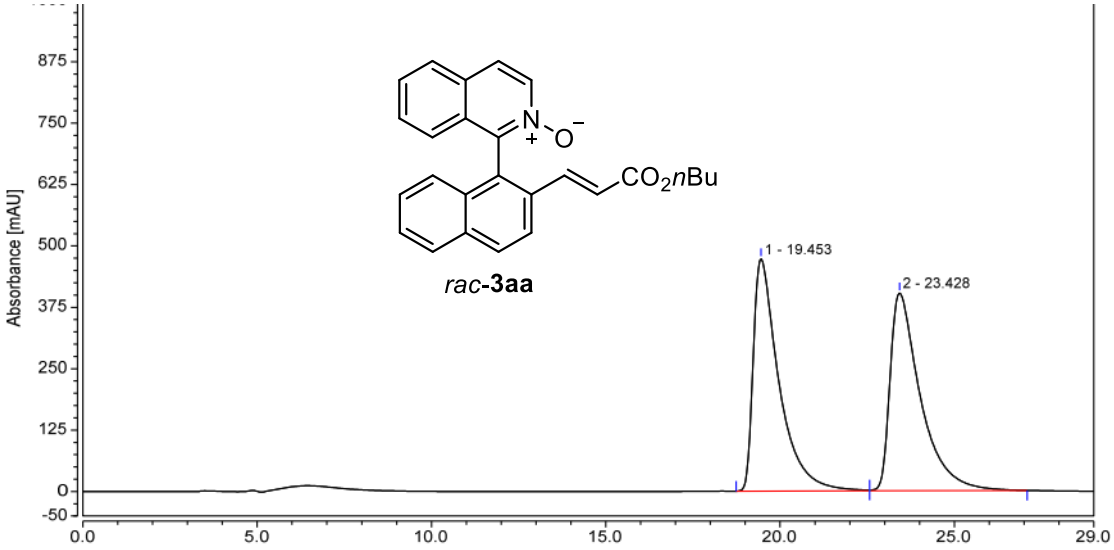
(98.4 mg, 0.75 mmol), $Pd(TFA)_2$ (166.2 mg, 0.5 mmol), and Ag_2CO_3 (2.7575 g, 10.0 mmol) were added. Then, DCE/CH_3CN (6/4, v/v, 50.0 mL), **2a** (2.15 mL, 15 mmol), and TFE (1768 μL, 25 mmol) were added successively. The reaction was stirred under air at 80 °C for 5 h. After the reaction was complete (monitoring by TLC), the reaction mixture was filtered through a pad of celite. Subsequently, the reaction mixture was concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1/10 to 1/20, v/v) to give the recovered (*S*)-**1a** (595.7 mg, 44% yield, 91% ee) and products **3aa** (847.9 mg, 43% yield, 92% ee). The enantiomeric ratios of (*S*)-**1a** and (*S*)-**3aa** were determined by chiral HPLC analysis.



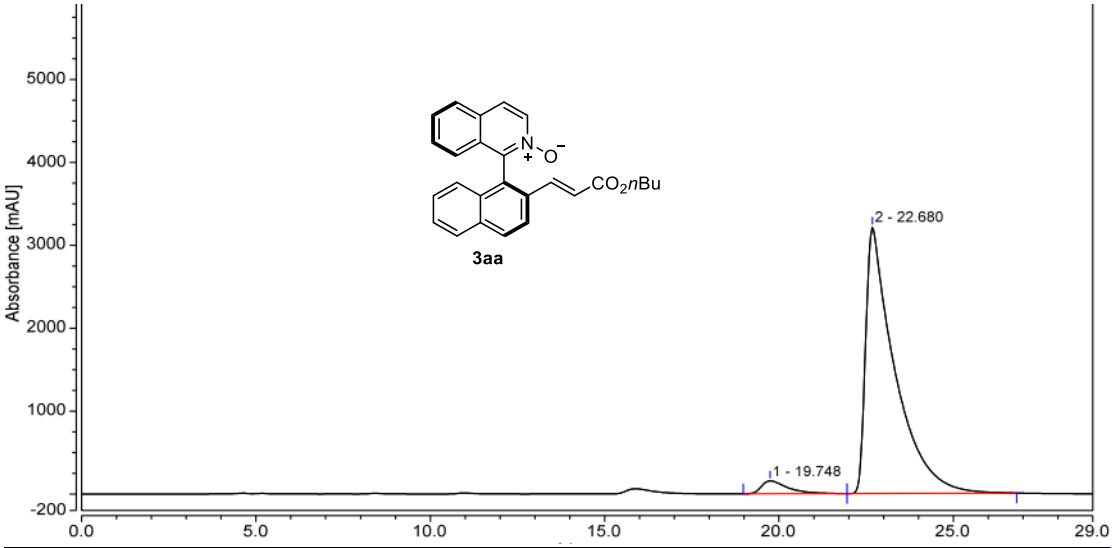
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.197	1126.028	1369.101	50.15	56.83
2	18.088	1119.083	1040.064	49.85	43.17
Total:		**2245.111**	**2409.165**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.700	1147.566	1464.259	95.45	96.01
2	18.067	54.712	60.824	4.55	3.99
Total:		**1202.278**	**1525.083**	**100.00**	**100.00**

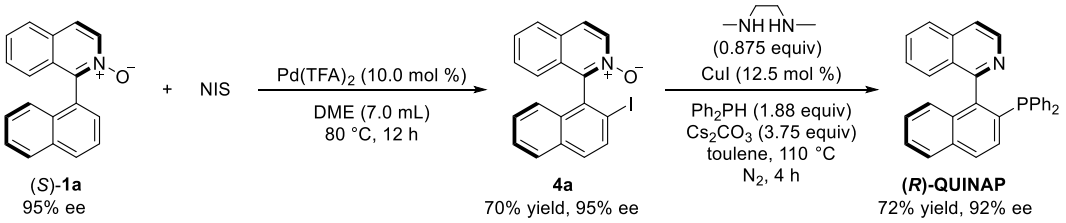


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	19.453	405.598	473.162	49.96	54.01
2	23.428	406.249	402.914	50.04	45.99
Total:		**811.847**	**876.076**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	19.748	136.850	155.785	4.22	4.62
2	22.680	3103.266	3213.979	95.78	95.38
Total:		**3240.116**	**3369.764**	**100.00**	**100.00**

2.7 Derivatization of recovered (*S*)-1a and product 3aa[3]



(*S*)-**1a**
95% ee

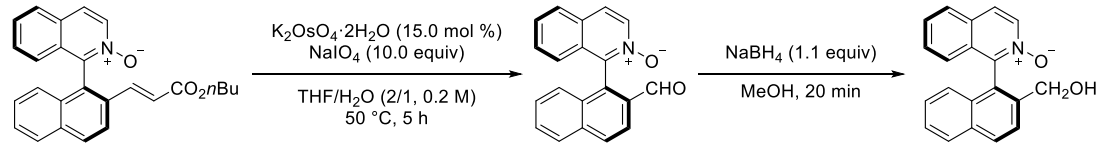
4a
70% yield, 95% ee

(*R*)-**QUINAP**
72% yield, 92% ee

To 25 mL pressure tube, the recovered (*S*)-**1a** (189.9 mg, 0.7 mmol, 95% ee), NIS (236.2 mg, 1.05 mmol, 1.5 equiv), $Pd(TFA)_2$ (23.3 mg, 0.07 mmol) and DME (7.0 mL) was added successively, and the tube was sealed. The reaction mixture was stirred at 80 °C for 12 h. After cooling to room temperature, the reaction mixture was quenched with saturated $Na_2S_2O_3$ aqueous solution and extracted with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4 and filtrated. The solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1/10, v/v) to afford the corresponding product **4a** as foam solid with 70% yield (194.5 mg, 95% ee).

In a 10 mL Schlenk-type sealed tube, **4a** (39.7 mg, 0.1 mmol), CuI (2.4 mg, 0.0125 mmol), Cs_2CO_3 (122.2 mg, 0.375 mmol) were added. Then, toulene (1.0 mL), *N,N*-dimethylethylenediamine (9.5 μL, 0.0875 mmol), Ph_2PH (44 μL, 0.25 mmol), were added successively under nitrogen atmosphere. The reaction was stirred under air at 110 °C for 4 h. After cooling to room temperature, the reaction was quenched with H_2O and extracted with ethyl acetate.

The solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 3/1, v/v) to afford the corresponding product (*R*)-QUINAP as white solid with 72% yield and 92% ee (31.6 mg).



3aa
92% ee

4aa
86% yield, 92% ee

5aa
97% yield, 92% ee

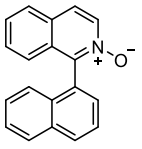
To a solution of **3aa** (79.5 mg, 0.2 mmol, 92% ee) in THF (1.4 mL) and H_2O (0.7 mL), $K_2OsO_4·2H_2O$ (11.1 mg, 0.03 mmol) and $NaIO_4$ (427.8 mg, 2.0 mmol) were added, the reaction mixture was stirred at 50 ºC for 4 h. The reaction mixture was then stopped by the addition with saturated $Na_2S_2O_3$ aqueous solution (5.0 mL) and stirred for 30 min. The biphasic reaction mixture was extracted with EtOAc, dried over Na_2SO_4, and concentrated. The crude product was purified by silica gel column chromatography (EtOAc /MeOH = 50:1) to afford the corresponding product **4aa** (51.4 mg, 86% yield, 92% ee).

To a solution of **4aa** (29.9 mg, 0.1 mmol) in MeOH (1.0 mL) was added portion-wise $NaBH_4$ (41.6 mg, 0.11 mmol). The reaction mixture effervesced upon addition of $NaBH_4$. After 20 minutes the reaction was quenched with HCl aqueous solution (1.0 M, 3.0 mL) and extracted with CH_2Cl_2. The organic layer was concentrated under reduced pressure and the residue was purified by silica gel column chromatography (ethyl acetate/methanol = 30/1, v/v) to afford the corresponding product **5aa** (29.2 mg, 97% yield, 92% ee).

3. The analytical and spectral characterization data

(1) The analytical and spectral characterization data of starting materials

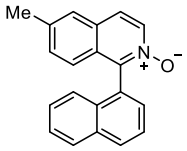
***rac*-1-(naphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1a)** [1]



rac-**1a**

Foamy solid, R_f = 0.28 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 1H), 8.05 (d, *J* = 8.4 Hz, 1H), 7.96 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 1H), 7.71 – 7.63 (m, 1H), 7.61 – 7.46 (m, 3H), 7.44 – 7.33 (m, 2H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.21 (t, *J* = 8.4 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 145.5, 137.5, 133.8, 131.4, 130.3, 130.0, 129.2, 128.9, 128.9, 128.7, 128.4, 128.4, 127.0, 126.9, 126.4, 125.6, 125.1, 123.8. **HRMS** (ESI): exact mass calcd for $C_{19}H_{14}NO^+$ $(M+H)^+$ requires m/z 272.1070, found m/z 272.1071.

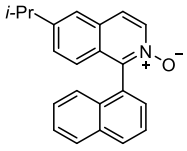
***rac*-6-methyl-1-(naphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1b)** [1]



rac-**1b**

Foamy solid, R_f = 0.23 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.2 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.96 (d, *J* = 8.0 Hz, 1H), 7.71 – 7.59 (m, 3H), 7.56 – 7.46 (m, 2H), 7.42 – 7.35 (m, 1H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.21 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.11 (d, *J* = 8.4 Hz, 1H), 2.47 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 145.3, 138.9, 137.5, 133.8, 131.4, 130.0, 129.2, 129.1, 128.6, 128.5, 128.4, 127.0, 126.3, 126.0, 125.5, 125.2, 123.2, 21.6. **HRMS** (ESI): exact mass calcd for $C_{20}H_{16}NO^+$ $(M+H)^+$ requires m/z 286.1226, found m/z 286.1228.

***rac*-6-isopropyl-1-(naphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1c)**

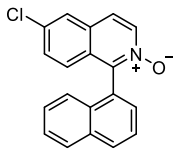


rac-**1c**

Foamy solid, R_f = 0.31 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.2 Hz, 1H), 8.05 (d, *J* = 7.8 Hz, 1H), 7.96 (d, *J* = 8.4 Hz, 1H), 7.73 (d, *J* = 7.2 Hz, 1H), 7.69 – 7.63 (m,

2H), 7.55 – 7.48 (m, 2H), 7.41 – 7.36 (m, 1H), 7.30 (dd, *J* = 9.0, 1.8 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 1H), 3.09 – 3.00 (m, 1H), 1.31 (dd, *J* = 7.2, 3.0 Hz, 6H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 149.6, 145.4, 137.5, 133.9, 131.4, 130.0, 129.3, 129.2, 129.1, 129.0, 128.7, 128.4, 127.0, 126.4, 125.8, 125.6, 125.2, 123.5, 123.4, 34.2, 23.7, 23.6. **HRMS** (ESI): exact mass calcd for $C_{22}H_{20}NO^+$ $(M+H)^+$ requires m/z 314.1539, found m/z 314.1538.

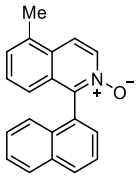
***rac*-6-chloro-1-(naphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1d)** [1]



rac-**1d**

Foamy solid, R_f = 0.34 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.2 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.95 (d, *J* = 7.8 Hz, 1H), 7.82 (d, *J* = 2.4 Hz, 1H), 7.69 – 7.62 (m, 2H), 7.53 – 7.48 (m, 2H), 7.42 – 7.36 (m, 1H), 7.31 (dd, *J* = 9.0, 1.8 Hz, 1H), 7.24 (d, *J* = 8.4 Hz, 1H), 7.14 (d, *J* = 9.0 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 145.6, 138.7, 134.6, 133.9, 131.3, 130.3, 130.1, 129.5, 128.8, 128.8, 128.5, 128.4, 127.3, 127.2, 126.6, 125.9, 125.6, 125.0, 122.8. **HRMS** (ESI): exact mass calcd for $C_{19}H_{13}ClNO^+$ $(M+H)^+$ requires m/z 306.0680, found m/z 306.0679.

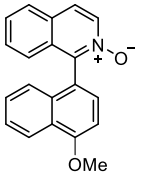
***rac*-5-methyl-1-(naphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1e)**



rac-**1e**

Foamy solid, R_f = 0.23 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.41 (d, *J* = 7.2 Hz, 1H), 8.02 (d, *J* = 8.4 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 1H), 7.90 (d, *J* = 7.2 Hz, 1H), 7.65 (dd, *J* = 8.0, 7.2 Hz, 1H), 7.56 – 7.44 (m, 2H), 7.39 – 7.27 (m, 3H), 7.21 (dd, *J* = 8.0, 6.8 Hz, 1H), 7.05 (d, *J* = 8.4 Hz, 1H), 2.66 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 145.4, 136.8, 134.0, 133.6, 131.2, 130.4, 129.7, 129.2, 129.0, 128.9, 128.5, 128.2, 128.0, 126.7, 126.1, 125.3, 125.0, 123.7, 120.3, 18.6. **HRMS** (ESI): exact mass calcd for $C_{20}H_{16}NO^+$ $(M+H)^+$ requires m/z 286.1226, found m/z 286.1228.

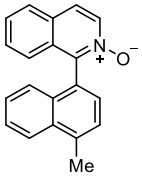
***rac*-1-(4-methoxynaphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1f)** [1]



rac-**1f**

Foamy solid, R_f = 0.20 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.38 (dd, *J* = 15.0, 8.4 Hz, 2H), 7.84 (d, *J* = 8.4 Hz, 1H), 7.75 (d, *J* = 7.2 Hz, 1H), 7.54 (t, *J* = 7.8 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 1H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.42 – 7.37 (m, 2H), 7.30 (t, *J* = 8.4 Hz, 1H), 7.21 (t, *J* = 8.4 Hz, 1H), 7.00 (d, *J* = 8.4 Hz, 1H), 4.09 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 156.9, 145.9, 137.7, 132.4, 130.8, 129.1, 129.0, 129.0, 128.3, 127.5, 126.9, 126.1, 126.0, 125.7, 125.0, 123.6, 122.8, 121.0, 103.7, 55.8. **HRMS** (ESI): exact mass calcd for $C_{20}H_{16}NO_2^+$ $(M+H)^+$ requires m/z 302.1176, found m/z 302.1178.

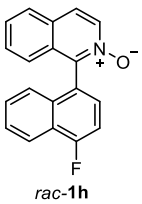
***rac*-1-(4-methylnaphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1g)** [1]



rac-**1g**

Foamy solid, R_f = 0.26 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.2 Hz, 1H), 8.11 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 1H), 7.57 – 7.48 (m, 3H), 7.44 – 7.35 (m, 3H), 7.26 (dd, *J* = 18.0, 8.4 Hz, 2H), 2.81 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 145.9, 137.0, 136.8, 133.1, 131.4, 130.6, 129.2, 128.9, 128.4, 128.2, 127.3, 126.9, 126.8, 126.5, 125.9, 125.8, 124.9, 123.7, 19.8. **HRMS** (ESI): exact mass calcd for $C_{20}H_{16}NO^+$ $(M+H)^+$ requires m/z 286.1226, found m/z 286.1225.

***rac*-1-(4-fluoronaphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1h)** [1]

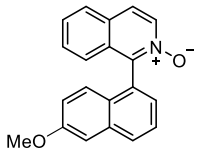


rac-**1h**

Foamy solid, R_f = 0.28 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.2 Hz, 1H), 8.23 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 7.8 Hz, 1H), 7.78 (d, *J* = 7.2 Hz, 1H), 7.60 – 7.52 (m, 2H), 7.50 – 7.38 (m, 3H), 7.37 – 7.31 (m, 1H), 7.29 – 7.24 (m, 1H), 7.22 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 159.9 (d, *J* = 252.0 Hz), 144.9, 137.6, 133.0 (d, *J* = 6.0 Hz), 130.5,

129.4, 129.0, 128.6 (d, *J* = 9.0 Hz), 128.5, 128.1, 127.0, 126.8, 125.6, 125.2 (d, *J* = 1.5 Hz), 124.9 (d, *J* = 6.0 Hz), 124.3 (d, *J* = 16.5 Hz), 124.0, 121.4 (d, *J* = 6.0 Hz), 109.5 (d, *J* = 19.5 Hz). **^{19}F NMR** (565 MHz, $CDCl_3$) δ -120.1. **HRMS** (ESI): exact mass calcd for $C_{19}H_{13}FNO^+$ $(M+H)^+$ requires m/z 290.0976, found m/z 290.0977.

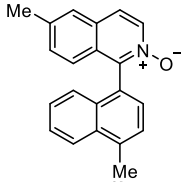
***rac*-1-(6-methoxynaphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1i)**



rac-**1i**

Foamy solid, R_f = 0.10 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.2 Hz, 1H), 8.03 (d, *J* = 8.4 Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.64 (t, *J* = 7.2 Hz, 2H), 7.54 – 7.47 (m, 2H), 7.38 (t, *J* = 7.2 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.16 – 7.08 (m, 2H), 7.02 (dd, *J* = 9.6, 2.4 Hz, 1H), 3.90 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 159.7, 145.4, 137.8, 133.8, 131.4, 130.8, 130.0, 129.1, 128.7, 128.4, 127.5, 127.0, 126.4, 125.6, 125.5, 125.2, 122.7, 121.6, 105.5, 55.7. **HRMS** (ESI): exact mass calcd for $C_{20}H_{16}NO_2^+$ $(M+H)^+$ requires m/z 302.1176, found m/z 302.1175.

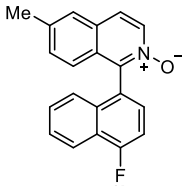
***rac*-6-methyl-1-(4-methylnaphthalen-1-yl)isoquinoline-*N*-oxide (*rac*-1j)** [1]



rac-**1j**

Foamy solid, R_f = 0.25 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.2 Hz, 1H), 8.12 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 7.2 Hz, 1H), 7.62 (s, 1H), 7.56 – 7.52 (m, 1H), 7.51 (d, *J* = 7.2 Hz, 1H), 7.42 (d, *J* = 7.2 Hz, 1H), 7.40 – 7.36 (m, 1H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.22 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.15 (d, *J* = 8.4 Hz, 1H), 2.81 (s, 3H), 2.49 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 145.7, 138.9, 137.6, 136.7, 133.1, 131.4, 131.4, 129.3, 128.8, 128.1, 127.4, 126.7, 126.4, 126.3, 126.1, 125.8, 125.8, 124.9, 123.1, 21.7, 19.8. **HRMS** (ESI): exact mass calcd for $C_{21}H_{18}NO^+$ $(M+H)^+$ requires m/z 300.1383, found m/z 300.1382.

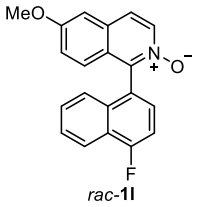
***rac*-1-(4-fluoronaphthalen-1-yl)-6-methylisoquinoline-*N*-oxide (*rac*-1k)** [1]



rac-**1k**

Foamy solid, R_f = 0.25 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.2 Hz, 1H), 8.22 (d, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 7.2 Hz, 1H), 7.64 (s, 1H), 7.59 – 7.54 (m, 1H), 7.49 – 7.41 (m, 2H), 7.33 (dd, *J* = 10.2, 7.8 Hz, 1H), 7.29 – 7.23 (m, 2H), 7.12 (d, *J* = 9.0 Hz, 1H), 2.49 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 159.8 (d, *J* = 253.5 Hz), 144.7, 139.1, 137.5, 132.9 (d, *J* = 4.5 Hz), 131.6, 129.3, 128.6, 128.6 (d, *J* = 9.0 Hz), 128.0, 126.8, 126.2, 125.4, 125.2 (d, *J* = 3.0 Hz), 125.0 (d, *J* = 4.5 Hz), 124.2 (d, *J* = 16.5 Hz), 123.4, 121.3 (d, *J* = 6.0 Hz), 109.5 (d, *J* = 21.0 Hz), 21.7. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -120.2. **HRMS** (ESI): exact mass calcd for $C_{20}H_{15}FNO^+$ $(M+H)^+$ requires m/z 304.1132, found m/z 304.1132.

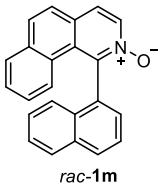
***rac*-1-(4-fluoronaphthalen-1-yl)-6-methoxyisoquinoline-*N*-oxide (*rac*-1l)**



rac-**1l**

Foamy solid, R_f = 0.12 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.2 Hz, 1H), 8.22 (d, *J* = 8.4 Hz, 1H), 7.67 (d, *J* = 7.2 Hz, 1H), 7.59 – 7.54 (m, 1H), 7.48 – 7.42 (m, 2H), 7.32 (dd, *J* = 10.2, 7.8 Hz, 1H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.16 – 7.11 (m, 2H), 7.06 (d, *J* = 9.6, 2.4 Hz, 1H), 3.93 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 159.8 (d, *J* = 253.5 Hz), 159.8, 144.8, 137.9, 133.0 (d, *J* = 6.0 Hz), 130.9, 128.6 (d, *J* = 9.0 Hz), 128.1, 127.4, 126.8, 125.7, 125.3 (d, *J* = 1.5 Hz), 125.1 (d, *J* = 4.5 Hz), 124.3 (d, *J* = 16.5 Hz), 122.8, 121.9, 121.3 (d, *J* = 4.5 Hz), 109.5 (d, *J* = 19.5 Hz), 105.6, 55.7. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -120.2. **HRMS** (ESI): exact mass calcd for $C_{20}H_{15}FNO_2^+$ $(M+H)^+$ requires m/z 320.1081, found m/z 320.1085.

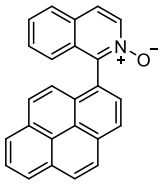
***rac*-1-(naphthalen-1-yl)benzo[h]isoquinoline-*N*-oxide (*rac*-1m)**



rac-**1m**

Foamy solid, R_f = 0.25 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.55 (d, *J* = 7.2 Hz, 1H), 8.11 (d, *J* = 8.0 Hz, 1H), 8.02 (d, *J* = 8.4 Hz, 1H), 7.86 – 7.76 (m, 3H), 7.72 – 7.62 (m, 2H), 7.57 – 7.50 (m, 2H), 7.57 – 7.48 (m, 2H), 7.46 – 7.36 (m, 3H), 7.11 (d, *J* = 8.8 Hz, 1H), 6.96 – 6.89 (m, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 146.5, 137.5, 134.2, 134.1, 133.6, 131.3, 130.6, 129.9, 129.6, 129.0, 128.9, 128.1, 127.8, 127.6, 127.3, 127.2, 127.0, 126.9, 126.7, 126.6, 124.9, 124.7, 124.2. **HRMS** (ESI): exact mass calcd for $C_{23}H_{16}NO^+$ $(M+H)^+$ requires m/z 322.1226, found m/z 322.1229.

***rac*-1-(pyren-1-yl)isoquinoline-*N*-oxide (*rac*-1n)**

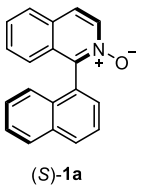


rac-**1n**

Foamy solid, R_f = 0.18 (EtOAc/MeOH, 25/1, v/v). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 7.2 Hz, 1H), 8.38 (d, *J* = 8.0 Hz, 1H), 8.24 (d, *J* = 7.6 Hz, 1H), 8.21 – 8.14 (m, 3H), 8.08 – 7.98 (m, 3H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.81 (d, *J* = 7.2 Hz, 1H), 7.57 – 7.49 (m, 2H), 7.37 – 7.31 (m, 1H), 7.16 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 145.9, 137.7, 132.5, 131.2, 131.0, 130.6, 129.9, 129.3, 129.0, 128.8, 128.6, 128.4, 127.9, 127.4, 127.0, 126.3, 125.8, 125.8, 125.7, 125.1, 124.8, 124.5, 123.9. **HRMS** (ESI): exact mass calcd for $C_{25}H_{16}NO^+$ $(M+H)^+$ requires m/z 346.1226, found m/z 346.1221.

(2) The analytical and spectral characterization data of the recovered material and products

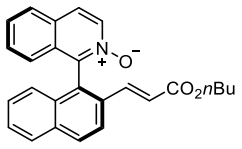
(*S*)-1-(naphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1a) [1]



(*S*)-**1a**

Foamy solid, 12.4 mg, 46% yield, 95% ee. **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 13.657 min, 18.048 min. $[\alpha]_D^{25}$ = +330.14 (c = 0.230, CH_2Cl_2).

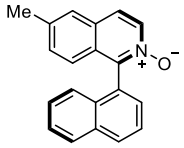
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3aa)



3aa

Foamy solid, 17.7 mg, 45% yield, m.p.: 77.2-79.6 ºC, 93% ee. R_f = 0.52 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 0.8 mL/min, λ = 250 nm, retention time: 19.930 min, 23.317 min. $[\alpha]_D^{25}$ = -93.02 (c = 0.334, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 1H), 8.03 (d, *J* = 9.0 Hz, 1H), 7.95 – 7.89 (m, 2H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.83 (d, *J* = 7.2 Hz, 1H), 7.58 – 7.45 (m, 2H), 7.41 – 7.29 (m, 2H), 7.24 (d, *J* = 16.2 Hz, 1H), 7.11 (d, *J* = 8.4 Hz, 1H), 6.97 (d, *J* = 8.4 Hz, 1H), 6.53 (d, *J* = 15.6 Hz, 1H), 4.09 – 3.97 (m, 2H), 1.59 – 1.46 (m, 2H), 1.32 – 1.18 (m, 2H), 0.85 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.5, 143.2, 141.1, 137.6, 134.4, 132.2, 131.6, 130.4, 130.3, 130.0, 129.8, 128.6, 128.6, 127.8, 127.5, 127.2, 125.6, 124.9, 124.4, 123.2, 121.2, 64.3, 30.6, 19.1, 13.7. **HRMS** (ESI): exact mass calcd for $C_{26}H_{23}NNaO_3^+$ $(M+Na)^+$ requires m/z 420.1570, found m/z 420.1565.

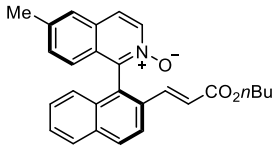
(*S*)-6-methyl-1-(naphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1b) [1]



(*S*)-**1b**

Foamy solid, 11.3 mg, 40% yield, 97% ee. **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 13.270 min, 17.678 min. $[\alpha]_D^{25}$ = +272.57 (c = 0.226, CH_2Cl_2).

(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)-6-methyl-isoquinoline-*N*-oxide (3ba)

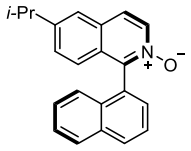


3ba

Foamy solid, 20.7 mg, 50% yield, m.p.: 165.8-166.8 ºC, 87% ee. R_f = 0.43 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 13.727 min, 15.453 min. $[\alpha]_D^{25}$ = -107.57 (c = 0.414, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.2 Hz, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.94 – 7.87 (m, 2H), 7.74 (d, *J* = 7.2 Hz, 1H), 7.64 (s, 1H), 7.53 – 7.45 (m, 1H), 7.36 – 7.29 (m, 1H), 7.28 – 7.16 (m, 2H), 7.12 (d, *J* =

8.4 Hz, 1H), 6.87 (d, *J* = 8.8 Hz, 1H), 6.52 (d, *J* = 16.0 Hz, 1H), 4.10 – 3.97 (m, 2H), 2.46 (s, 3H), 1.59 – 1.46 (m, 2H), 1.32 – 1.18 (m, 2H), 0.85 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.5, 143.0, 141.2, 139.1, 137.5, 134.4, 132.1, 131,9, 131.6, 130.3, 130.1, 128.9, 128.5, 127.7, 127.4, 126.3, 125.7, 124.8, 123.8, 123.1, 121.0, 64.3, 30.6, 21.7, 19.1, 13.7. **HRMS** (ESI): exact mass calcd for $C_{27}H_{26}NO_3^+$ $(M+H)^+$ requires m/z 412.1907, found m/z 412.1907.

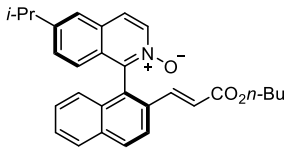
(*S*)-6-isopropyl-1-(naphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1c)



(*S*)-**1c**

Foamy solid, 13.8 mg, 44% yield, m.p.: 210.2-212.4 °C, 98% ee. **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 6.693 min, 8.548 min. $[\alpha]_D^{25}$ = +326.67 (c = 0.1, CH_2Cl_2).

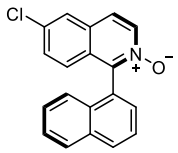
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)-6-isopropyl-isoquinoline-*N*-oxide (3ca)



3ca

Foamy solid, 20.5 mg, 47% yield, m.p.: 171.7-173.9 °C, 86% ee. R_f = 0.46 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 7.752 min, 9.210 min. $[\alpha]_D^{25}$ = -128.06 (c = 0.354, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 1H), 8.04 (d, *J* = 9.0 Hz, 1H), 7.96 – 7.89 (m, 2H), 7.78 (d, *J* = 7.2 Hz, 1H), 7.68 (s, 1H), 7.53 – 7.48 (m, 1H), 7.36 – 7.31 (m, 1H), 7.27 (dd, *J* = 9.0, 1.8 Hz, 1H), 7.24 (d, *J* = 15.6 Hz, 1H), 7.12 (d, *J* = 8.4 Hz, 1H), 6.90 (d, *J* = 8.4 Hz, 1H), 6.52 (d, *J* = 16.2 Hz, 1H), 4.10 – 3.96 (m, 2H), 3.09 – 2.98 (m, 1H), 1.57 – 1.49 (m, 2H), 1.31 (d, *J* = 7.2 Hz, 6H), 1.29 – 1.21 (m, 2H), 0.86 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.6, 149.9, 143.1, 141.3, 137.6, 134.5, 132.2, 131.7, 130.4, 130.2, 129.8, 129.1, 129.0, 128.6, 127.8, 127.6, 125.8, 125.1, 124.2, 123.7, 123.2, 121.1, 64.4, 34.3, 30.7, 23.7, 23.6, 19.2, 13.8. **HRMS** (ESI): exact mass calcd for $C_{29}H_{30}NO_3^+$ $(M+H)^+$ requires m/z 440.2220, found m/z 440.2220.

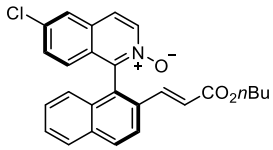
(*S*)-6-chloro-1-(naphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1d)[1]



(*S*)-**1d**

Foamy solid, 14.0 mg, 52% yield, 82% ee. **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 7.720 min, 9.473 min. $[\alpha]_D^{25}$ = +239.09 (c = 0.278, CH_2Cl_2).

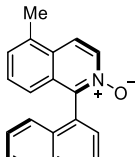
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)-6-chloro-isoquinoline-*N*-oxide (3da)



3da

Foamy solid, 19.4 mg, 45% yield, m.p.: 203.5-204.7 °C, 94% ee. R_f = 0.60 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 14.443 min, 21.577 min. $[\alpha]_D^{25}$ = -107.22 (c = 0.388, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 7.2 Hz, 1H), 8.06 (d, *J* = 8.8 Hz, 1H), 7.93 (t, *J* = 8.4 Hz, 2H), 7.88 (d, *J* = 2.0 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 1H), 7.57 – 7.48 (m, 1H), 7.39 – 7.28 (m, 2H), 7.20 (d, *J* = 16.0 Hz, 1H), 7.08 (d, *J* = 8.8 Hz, 1H), 6.91 (d, *J* = 8.8 Hz, 1H), 6.52 (d, *J* = 15.6 Hz, 1H), 4.13 – 3.96 (m, 2H), 1.61 – 1.48 (m, 2H), 1.35 – 1.19 (m, 2H), 0.87 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.5, 143.4, 140.9, 138.9, 135.0, 134.5, 132.4, 131.6, 130.8, 130.8, 129.3, 129.2, 128.9, 128.8, 128.0, 127.7, 126.6, 126.2, 125.5, 123.4, 123.3, 121.5, 64.5, 30.7, 19.2, 13.8. **HRMS** (ESI): exact mass calcd for $C_{26}H_{22}ClNNaO_3^+$ $(M+Na)^+$ requires m/z 454.1180, found m/z 454.1175.

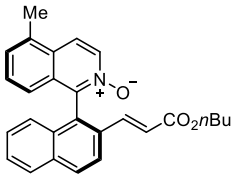
(*S*)-5-methyl-1-(naphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1e)



(*S*)-**1e**

Foamy solid, 11.8 mg, 41% yield, m.p.: 205.4-207.6 °C, 95% ee. **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 16.168 min, 19.820 min. $[\alpha]_D^{25}$ = +238.12 (c = 0.212, CH_2Cl_2).

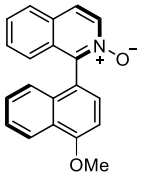
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)-5-methylisoquinoline-*N*-oxide (3ea)



3ea

Foamy solid, 19.4 mg, 47% yield, m.p.: 158.9-160.8 °C, 88% ee, R_f = 0.42 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 15.225 min, 21.807 min. $[\alpha]_D^{25}$ = -122.32 (c = 0.414, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 7.2 Hz, 1H), 8.05 (d, *J* = 8.8 Hz, 1H), 8.01 (d, *J* = 7.2 Hz, 1H), 7.94 (d, *J* = 8.8 Hz, 2H), 7.52 (t, *J* = 7.2 Hz, 1H), 7.41 – 7.32 (m, 2H), 7.31 – 7.23 (m, 2H), 7.13 (d, *J* = 8.4 Hz, 1H), 6.85 (d, *J* = 8.8 Hz, 1H), 6.56 (d, *J* = 15.6 Hz, 1H), 4.13 – 4.01 (m, 2H), 2.75 (s, 3H), 1.61 – 1.52 (m, 2H), 1.34 – 1.24 (m, 2H), 0.88 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.4, 143.3, 141.1, 137.0, 134.4, 134.3, 132.1, 131,6, 130.6, 130.3, 129.6, 129.4, 128.5, 128.0, 127.6, 127.4, 125.6, 123.2, 123.1, 121.0, 121.0, 64.2, 30.5, 19.1, 18.8, 13.7. **HRMS** (ESI): exact mass calcd for $C_{27}H_{26}NO_3^+$ $(M+H)^+$ requires m/z 412.1907, found m/z 412.1908.

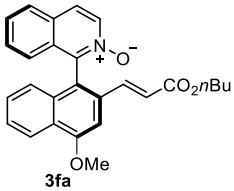
(*S*)-1-(4-methoxynaphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1f) [1]



(*S*)-**1f**

Foamy solid, 13.5 mg, 45% yield, 86% ee. **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 14.402 min, 23.650 min. $[\alpha]_D^{25}$ = +200.24 (c = 0.276, CH_2Cl_2).

(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-4-methoxy-naphthalen-1-yl)isoquinoline-*N*-oxide (3fa)

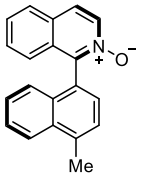


3fa

Foamy solid, 19.8 mg, 46% yield, m.p.: 227.6-228.8 °C, 91% ee. R_f = 0.52 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 0.8 mL/min, λ = 250 nm, retention time: 12.457 min, 16.007 min. $[\alpha]_D^{25}$ = -122.56 (c = 0.328, CH_2Cl_2). **^{1}H NMR** (600 MHz,

$CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 1H), 8.35 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 8.4 Hz, 1H), 7.81 (d, *J* = 7.2 Hz, 1H), 7.56 – 7.46 (m, 2H), 7.40 – 7.31 (m, 2H), 7.23 (d, *J* = 16.2 Hz, 1H), 7.19 (s, 1H), 7.08 – 7.01 (m, 2H), 6.50 (d, *J* = 16.2 Hz, 1H), 4.11 (s, 3H), 4.08 – 3.99 (m, 2H), 1.56 – 1.48 (m, 2H), 1.29 – 1.19 (m, 2H), 0.85 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.4, 156.9, 143.4, 141.6, 137.7, 132.5, 130.8, 129.7, 128.6, 128.5, 128.2, 127.1, 127.1, 126.9, 125.4, 125.1, 124.2, 122.8, 122.8, 120.7, 100.6, 64.3, 55.8, 30.6, 19.1, 13.7. **HRMS** (ESI): exact mass calcd for $C_{27}H_{26}NO_4^+$ $(M+H)^+$ requires m/z 428.1856, found m/z 428.1852.

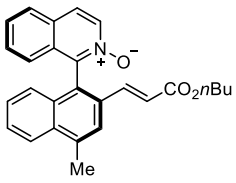
(*S*)-1-(4-methylnaphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1g) [1]



(*S*)-**1g**

Foamy solid, 11.3 mg, 40% yield, 96% ee. **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 7.667 min, 16.903 min. $[\alpha]_D^{25}$ = +356.46 (c = 0.222, CH_2Cl_2).

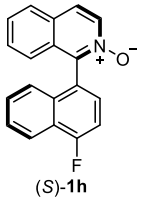
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-4-methyl-naphthalen-1-yl)isoquinoline-*N*-oxide (3ga)



3ga

Foamy solid, 19.6 mg, 48% yield, m.p.: 192.7-194.3 °C, 87% ee. R_f = 0.52 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 0.8 mL/min, λ = 250 nm, retention time: 17.573 min, 25.713 min. $[\alpha]_D^{25}$ = -102.37 (c = 0.394, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.2 Hz, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 7.8 Hz, 1H), 7.82 (d, *J* = 7.2 Hz, 1H), 7.78 (s, 1H), 7.57 – 7.50 (m, 2H), 7.38 – 7.31 (m, 2H), 7.22 (d, *J* = 15.6 Hz, 1H), 7.12 (d, *J* = 8.4 Hz, 1H), 7.00 (d, *J* = 8.4 Hz, 1H), 6.53 (d, *J* = 15.6 Hz, 1H), 4.08 – 3.98 (m, 2H), 2.81 (s, 3H), 1.57 – 1.48 (m, 2H), 1.30 – 1.20 (m, 2H), 0.85 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.5, 143.4, 141.2, 137.6, 137.0, 133.8, 131.7, 131.6, 130.5, 129.7, 128.6, 128.4, 127.4, 127.4, 127.1, 126.2, 125.0, 124.8, 124.3, 123.8, 120.9, 64.3, 30.6, 19.9, 19.1, 13.7. **HRMS** (ESI): exact mass calcd for $C_{27}H_{25}NNaO_3^+$ $(M+Na)^+$ requires m/z 434.1727, found m/z 434.1723.

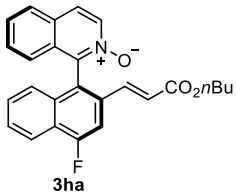
(*S*)-1-(4-fluoronaphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1h) [1]



(*S*)-**1h**

Foamy solid, 13.9 mg, 48% yield, 82% ee. **HPLC** CHIRALCEL AD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 7.783 min, 10.088 min. $[\alpha]_D^{25}$ = +276.97 (c = 0.246, CH_2Cl_2).

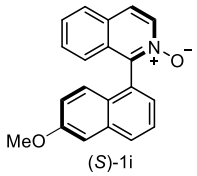
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-4-fluoro-naphthalen-1-yl)isoquinoline-*N*-oxide (3ha)



3ha

Foamy solid, 17.4 mg, 42% yield, m.p.: 180.2-181.6 °C, 94% ee. R_f = 0.48 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 21.347 min, 31.317 min. $[\alpha]_D^{25}$ = -102.76 (c = 0.242, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.2 Hz, 1H), 8.20 (d, *J* = 7.8 Hz, 1H), 7.89 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 7.2 Hz, 1H), 7.62 – 7.54 (m, 3H), 7.40 (t, *J* = 7.2 Hz, 2H), 7.18 (d, *J* = 16.2 Hz, 1H), 7.11 (d, *J* = 9.0 Hz, 1H), 7.00 (d, *J* = 8.4 Hz, 1H), 6.47 (d, *J* = 15.6 Hz, 1H), 4.08 – 3.99 (m, 2H), 1.59 – 1.48 (m, 2H), 1.30 – 1.20 (m, 2H), 0.86 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.2, 160.0, (d, *J* = 253.5 Hz), 142.5, 140.3, 137.7, 133.3 (d, *J* = 6.0 Hz), 133.0 (d, *J* = 9.0 Hz), 130.5, 130.0, 128.8 (d, *J* = 9.0 Hz), 128.7, 127.9, 127.3, 126.1 (d, *J* = 3.0 Hz), 125.7 (d, *J* = 1.5 Hz), 125.2, 125.0, 124.9, 124.6, 121.9, 121.5 (d, *J* = 4.5 Hz), 106.8 (d, *J* = 22.5 Hz), 64.5, 30.6, 19.2, 13.8. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -119.9. **HRMS** (ESI): exact mass calcd for $C_{26}H_{22}FNNaO_3^+$ $(M+Na)^+$ requires m/z 438.1476, found m/z 438.1466.

(*S*)-1-(6-methoxynaphthalen-1-yl)isoquinoline *N*-oxide ((*S*)-1i)

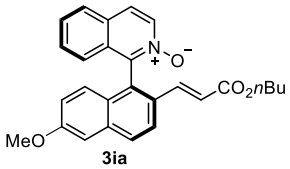


(*S*)-1i

Foamy solid, 12.5 mg, 42% yield, 230.1-232.2 °C, 90% ee. **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 15.247 min, 21.308

min. $[\alpha]_D^{25}$ = +321.54 (c = 0.164, CH_2Cl_2).

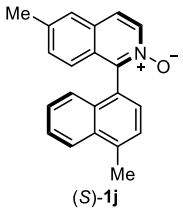
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-6-methoxynaphthalen-1-yl)isoquinoline *N*-oxide (3ia)



3ia

Foamy solid, 21.9 mg, 51% yield, m.p.: 184.0-185.6 ºC, 80% ee. R_f = 0.20 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IF, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 37.968 min, 42.123 min. $[\alpha]_D^{25}$ = -119.49 (c = 0.342, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 1H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.96 – 7.86 (m, 2H), 7.73 (d, *J* = 7.2 Hz, 1H), 7.51 (t, *J* = 8.0 Hz, 1H), 7.39 – 7.30 (m, 1H), 7.26 – 7.15 (m, 2H), 7.12 (d, *J* = 8.4 Hz, 1H), 7.02 (dd, *J* = 9.2, 2.4 Hz, 1H), 6.88 (d, *J* = 9.2 Hz, 1H), 6.51 (d, *J* = 15.6 Hz, 1H), 4.10 – 3.98 (m, 2H), 3.93 (s, 3H), 1.59 – 1.48 (m, 2H), 1.33 – 1.19 (m, 2H), 0.87 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.6, 160.0, 143.3, 141.3, 137.9, 134.5, 132.2, 131.7, 130.8, 130.5, 130.1, 128.6, 127.8, 127.6, 126.9, 125.8, 125.7, 123.3, 123.2, 122.4, 121.2, 105.8, 64.4, 55.8, 30.7, 19.2, 13.8. **HRMS** (ESI): exact mass calcd for $C_{27}H_{26}NO_4^+$ $(M+H)^+$ requires m/z 428.1856, found m/z 428.1857.

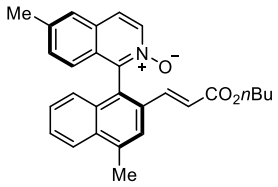
(*S*)-6-methyl-1-(4-methylnaphthalen-1-yl)isoquinoline-*N*-oxide ((*S*)-1j) [1]



(*S*)-**1j**

Foamy solid, 11.1 mg, 37% yield, 94% ee. **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 7.212 min, 13.438 min. $[\alpha]_D^{25}$ = +343.20 (c = 0.196, CH_2Cl_2).

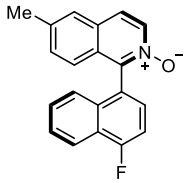
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-4-methyl-naphthalen-1-yl)-6-methyl- isoquinoline-*N*-oxide (3ja)



3ja

Foamy solid, 19.4 mg, 46% yield, m.p.: 190.4-191.8 ºC, 81% ee. R_f = 0.42 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 11.520 min, 15.093 min. $[\alpha]_D^{25}$ = -147.33 (c = 0.362, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.2 Hz, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.77 (s, 1H), 7.73 (d, *J* = 7.2 Hz, 1H), 7.64 (s, 1H), 7.54 (t, *J* = 7.8 Hz, 1H), 7.33 (t, *J* = 7.2 Hz, 1H), 7.24 – 7.16 (m, 2H), 7.13 (d, *J* = 8.4 Hz, 1H), 6.90 (d, *J* = 9.0 Hz, 1H), 6.52 (d, *J* = 15.6 Hz, 1H), 4.08 – 3.99 (m, 2H), 2.80 (s, 3H), 2.46 (s, 3H), 1.57 – 1.49 (m, 2H), 1.30 – 1.21 (m, 2H), 0.86 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.5, 143.3, 141.3, 139.1, 137.6, 136.9, 133.8, 131.9, 131.7, 131.6, 128.9, 128.7, 128.6, 127.4, 127.4, 126.3, 124.9, 124.8, 123.8, 123.7, 120.8, 64.3, 30.6, 21.7, 19.9, 19.1, 13.7. **HRMS** (ESI): exact mass calcd for $C_{28}H_{28}NO_3^+$ $(M+H)^+$ requires m/z 426.2064, found m/z 426.2065.

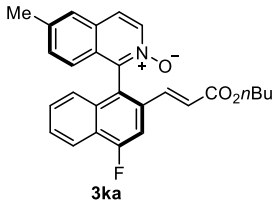
(*S*)-1-(4-fluoronaphthalen-1-yl)-6-methylisoquinoline-*N*-oxide ((*S*)-1k) [1]



(*S*)-**1k**

Foamy solid, 13.7 mg, 45% yield, 95% ee. **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 7.263 min, 9.643 min. $[\alpha]_D^{25}$ = +321.94 (c = 0.234, CH_2Cl_2).

(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-4-fluoro-naphthalen-1-yl)-6-methyl-isoquinoline-*N*-oxide (3ka)

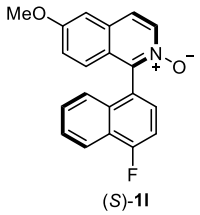


3ka

Foamy solid, 19.7 mg, 46% yield, m.p.: 169.6-171.2 ºC, 92% ee. R_f = 0.44 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm,

retention time: 10.784 min, 14.906 min. $[\alpha]_D^{25}$ = -172.12 (c = 0.342, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.2 Hz, 1H), 8.19 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 1H), 7.67 (s, 1H), 7.61 – 7.55 (m, 2H), 7.43 – 7.37 (m, 1H), 7.25 – 7.21 (m, 2H), 7.20 – 7.15 (m, 1H), 7.11 (d, *J* = 8.4 Hz, 1H), 6.89 (d, *J* = 8.4 Hz, 1H), 6.46 (d, *J* = 15.6 Hz, 1H), 4.11 – 3.97 (m, 2H), 2.49 (s, 3H), 1.57 – 1.49 (m, 2H), 1.30 – 1.20 (m, 2H), 0.86 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.3, 160.0, (d, *J* = 255.0 Hz), 142.4, 140.4, 139.4, 137.7, 133.3 (d, *J* = 4.5 Hz), 132.9 (d, *J* = 9.0 Hz), 132.2, 129.0, 128.8 (d, *J* = 9.0 Hz), 127.9, 126.5, 126.3 (d, *J* = 3.0 Hz), 125.7 (d, *J* = 3.0 Hz), 125.2, 125.1, 124.8, 124.0, 121.8, 121.4 (d, *J* = 4.5 Hz), 106.9 (d, *J* = 21.0 Hz), 64.5, 30.7, 21.8, 19.2, 13.8. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -120.0. **HRMS** (ESI): exact mass calcd for $C_{27}H_{25}FNO_3^+$ $(M+H)^+$ requires m/z 430.1813, found m/z 430.1812.

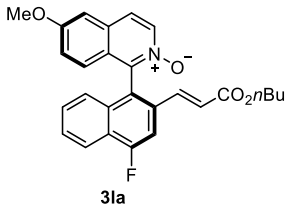
(*S*)-1-(4-fluoronaphthalen-1-yl)-6-methoxyisoquinoline-*N*-oxide ((*S*)-1l)



(*S*)-**1l**

Foamy solid, 15.0 mg, 47% yield, m.p.: 270.3-272.0 °C, 91% ee. **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 11.115 min, 16.447 min. $[\alpha]_D^{25}$ = +277.21 (c = 0.234, CH_2Cl_2).

(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)-4-fluoro-naphthalen-1-yl)-6-methoxy- isoquinoline-*N*-oxide (3la)

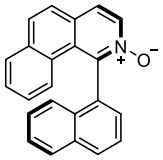


3la

Foamy solid, 22.2 mg, 50% yield, m.p.: 208.0-209.7 °C, 90% ee. R_f = 0.18 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IF, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 26.871 min, 32.012 min. $[\alpha]_D^{25}$ = -149.47 (c = 0.380, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.2 Hz, 1H), 8.17 (d, *J* = 8.4 Hz, 1H), 7.73 (d, *J* = 7.2 Hz, 1H), 7.60 – 7.53 (m, 2H), 7.40 (t, *J* = 7.8 Hz, 1H), 7.22 – 7.15 (m, 2H), 7.12 (d, *J* = 8.4 Hz, 1H), 7.03 (dd, *J* = 9.0, 2.4 Hz, 1H), 6.89 (d, *J* = 9.0 Hz, 1H), 6.46 (d, *J* = 15.6 Hz, 1H), 4.08 – 3.99 (m, 2H), 3.91 (s, 3H),

1.58 – 1.48 (m, 2H), 1.31 – 1.21 (m, 2H), 0.86 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.2, 159.9 (d, *J* = 249.0 Hz), 159.9, 142.3, 140.3, 137.9, 133.2 (d, *J* = 6.0 Hz), 132.8 (d, *J* = 9.0 Hz), 130.6, 128.8, 127.8, 126.5, 126.3 (d, *J* = 4.5 Hz), 125.7 (d, *J* = 4.5 Hz), 125.1, 124.9, 123.4, 122.4, 121.8, 121.3 (d, *J* = 4.5 Hz), 106.8 (d, *J* = 22.5 Hz), 105.8, 64.5, 55.7, 30.6, 19.1, 13.7. **^{19}F NMR** (565 MHz, $CDCl_3$) δ -120.0. **HRMS** (ESI): exact mass calcd for $C_{27}H_{25}FNO_4^+$ $(M+H)^+$ requires m/z 446.1762, found m/z 446.1761.

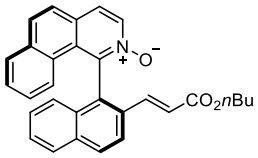
(*S*)-1-(naphthalen-1-yl)benzo[h]isoquinoline-*N*-oxide ((*S*)-1m)



(*S*)-**1m**

Foamy solid, 12.5 mg, 39% yield, m.p.: 134.4-136.6 °C, 99% ee. **HPLC** CHIRALCEL OD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 250 nm, retention time: 18.832 min, 23.914 min. $[\alpha]_D^{25}$ = +195.16 (c = 0.186, CH_2Cl_2).

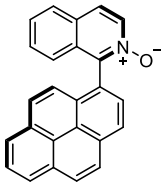
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)benzo[*h*]isoquinoline-*N*-oxide (3ma)



3ma

Foamy solid, 21.9 mg, 49% yield, m.p.: 104.0-105.7 °C, 73% ee. R_f = 0.40 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IF, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 35.249 min, 48.795 min. $[\alpha]_D^{25}$ = -172.67 (c = 0.322, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.57 (d, *J* = 7.2 Hz, 1H), 8.11 (d, *J* = 9.0 Hz, 1H), 7.96 (dd, *J* = 9.0, 4.2 Hz, 2H), 7.89 (d, *J* = 6.6 Hz, 1H), 7.86 (d, *J* = 9.0 Hz, 1H), 7.80 (d, *J* = 7.2 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.51 – 7.48 (m, 1H), 7.42 – 7.38 (m, 1H), 7.29 – 7.22 (m, 3H), 7.06 (d, *J* = 9.0 Hz, 1H), 6.95 – 6.91 (m, 1H), 6. 46 (d, *J* = 15.6 Hz, 1H), 4.02 – 3.92 (m, 2H), 1.51 – 1.45 (m, 2H), 1.25 – 1.18 (m, 2H), 0.84 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.5, 144.1, 140.8, 137.7, 134.9, 134.3, 134.2, 131.3, 131.2, 131.1, 130.4, 129.6, 129.3, 128.8, 128.3, 128.0, 127.9, 127.8, 127.6, 127.5, 126.2, 125.1, 124.9, 124.9, 123.8, 121.1, 64.3, 30.7, 19.2, 13.8. **HRMS** (ESI): exact mass calcd for $C_{30}H_{26}NO_3^+$ $(M+H)^+$ requires m/z 448.1907, found m/z 448.1904.

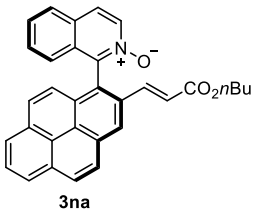
(*S*)-1-(pyren-1-yl)isoquinoline-*N*-oxide ((*S*)-1n)



(*S*)-**1n**

Foamy solid, 15.0 mg, 43% yield, m.p.: 239.9-242.6 °C, 94% ee. **HPLC** CHIRALCEL OD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 21.883 min, 29.523 min. $[\alpha]_D^{25}$ = +179.67 (c = 0.200, CH_2Cl_2).

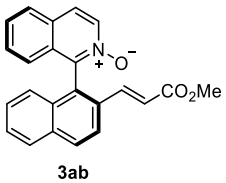
(*S*)-1-(2-(3-butoxy-3-oxoprop-1-en-1-yl)pyren-1-yl)isoquinoline-*N*-oxide (3na)



3na

Foamy solid, 20.6 mg, 44% yield, m.p.: 184.0-185.8 °C, 89% ee. R_f = 0.42 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL OD, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 28.265 min, 48.893 min. $[\alpha]_D^{25}$ = +114.57 (c = 0.302, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 8.47 (d, *J* = 7.2 Hz, 1H), 8.22 (d, *J* = 7.6 Hz, 1H), 8.18 – 8.10 (m, 3H), 8.01 (t, *J* = 7.6 Hz, 1H), 7.98 – 7.86 (m, 3H), 7.59 – 7.47 (m, 2H), 7.38 – 7.28 (m, 2H), 6.92 (d, *J* = 8.4 Hz, 1H), 6.71 (d, *J* = 15.6 Hz, 1H), 4.15 – 4.02 (m, 2H), 1.63 – 1.51 (m, 2H), 1.33 – 1.26 (m, 2H), 0.89 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.5, 143.8, 142.0, 137.8, 132.5, 132.1, 131.4, 131.1, 130.7, 130.3, 129.9, 129.4, 129.2, 128.7, 128.7, 127.4, 127.2, 126.9, 126.2, 126.1, 126.0, 125.8, 125.1, 124.5, 124.5, 124.4, 123.0, 121.7, 64.4, 30.7, 19.2, 13.8. **HRMS** (ESI): exact mass calcd for $C_{32}H_{26}NO_3^+$ $(M+H)^+$ requires m/z 472.1907, found m/z 472.1897.

(*S*)-1-(2-(3-methoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3ab)

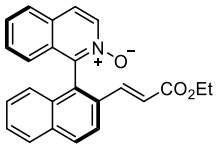


3ab

Foamy solid, 15.0 mg, 42% yield, m.p.: 176.2-177.9 °C, 91% ee. R_f = 0.30 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 32.407 min, 39.073 min. $[\alpha]_D^{24}$ = -92.22 (c = 0.300, CH_2Cl_2). **^{1}H NMR** (400

MHz, $CDCl_3$) δ 8.38 (d, *J* = 7,2 Hz, 1H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.95 – 7.80 (m, 4H), 7.57 – 7.46 (m, 2H), 7.39 – 7.30 (m, 2H), 7.27 (d, *J* = 16.0 Hz, 1H), 7.08 (d, *J* = 8.4 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 1H), 6.53 (d, *J* = 15,6 Hz, 1H), 3,64 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.9, 143.1, 141.4, 137.6, 134.5, 132.2, 131.6, 130.5, 130.4, 130.0, 129.8, 128.7, 128.6, 128.6, 127.8, 127.6, 127.2, 125.7, 124.9, 124.5, 123.2, 120.8, 51.7. **HRMS** (ESI): exact mass calcd for $C_{23}H_{17}NNaO_3^+$ $(M+Na)^+$ requires m/z 378.1101, found m/z 378.1096.

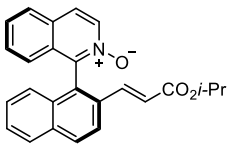
(*S*)-1-(2-(3-ethoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3ac)



3ac

Foamy solid, 16.0 mg, 43% yield, m.p.: 223.6-225.2 ºC, 92% ee. R_f = 0.35 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 17.828 min, 20.520 min. $[\alpha]_D^{24}$ = -89.79 (c = 0.320, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.2 Hz, 1H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.95 – 7.89 (m, 2H), 7.85 (dd, *J* = 16.0, 7.2 Hz, 2H), 7.57 – 7.46 (m, 2H), 7.39 – 7.30 (m, 2H), 7.26 (d, *J* = 16.0 Hz, 1H), 7.10 (d, *J* = 8.4 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 4.10 (q, *J* = 7.2 Hz, 2H),1.19 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.4, 143.2, 141.2, 137.6, 134.4, 132.2, 131.6, 130.4, 130.4, 129.9, 129.8, 128.6, 128.6, 128.6, 127.8, 127.5, 127.2, 125.6, 124.9, 124.5, 123.2, 121.2, 60.5, 14.2. **HRMS** (ESI): exact mass calcd for $C_{24}H_{19}NNaO_3^+$ $(M+Na)^+$ requires m/z 392.1257, found m/z 392.1257.

(*S*)-1-(2-(3-isopropoxy-3-oxoprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3ad)

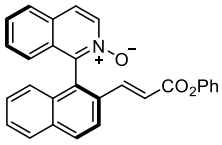


3ad

Foamy solid, 16.4 mg, 43% yield, m.p.: 194.4-197.3 ºC, 91% ee. R_f = 0.40 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 12.130 min, 15.125 min. $[\alpha]_D^{25}$ = -92.88 (c = 0.328, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 6.6 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.93 (dd, *J* = 8.4, 4.2 Hz, 2H), 7.88 (d, *J* = 8.4 Hz, 1H), 7.83 (d, *J* = 7.2 Hz, 1H), 7.56 – 7.48 (m, 2H), 7.39 – 7.31 (m, 2H), 7.23 (d, *J* = 15.6

Hz, 1H), 7.10 (d, *J* = 8.4 Hz, 1H), 6.97 (d, *J* = 8.4 Hz, 1H), 6.51 (d, *J* = 16.2 Hz, 1H), 4.99 – 4.91 (m, 1H), 1.17 (dd, *J* = 10.2, 6.6 Hz, 6H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.0, 143.3, 141.0, 137.7, 134.5, 132.3, 131.7, 130.4, 130.4, 129.9, 129.8, 128.7, 128.7, 128.6, 127.8, 127.5, 127.2, 125.7, 125.0, 124.4, 123.3, 121.7, 67.9, 21.9. **HRMS** (ESI): exact mass calcd for $C_{25}H_{22}NO_3^+$ $(M+H)^+$ requires m/z 384.1594, found m/z 384.1585.

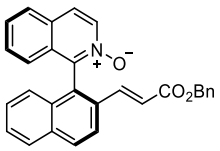
(*S*)-1-(2-(3-oxo-3-phenoxyprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3ae)



3ae

Foamy solid, 15.4 mg, 37% yield, m.p.: 238.8-240.2 °C, 89% ee. R_f = 0.48 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IF, *n*-hexane/2-propanol = 50/50, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 30.132 min, 34.907 min. $[\alpha]_D^{25}$ = -99.35 (c = 0.308, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 7.2 Hz, 1H), 8.10 (d, *J* = 8.8 Hz, 1H), 7.98 (dd, *J* = 14.4, 8.8 Hz, 2H), 7.89 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 7.2 Hz, 1H), 7.55 (q, *J* = 7.2 Hz, 2H), 7.45 – 7.29 (m, 5H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.13 (d, *J* = 8.8 Hz, 1H), 7.08 – 6.96 (m, 3H), 6.74 (d, *J* = 16.0 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 165.0, 150.8, 143.1, 143.1, 137.7, 134.7, 132.0, 131.7, 130.6, 130.5, 130.4, 129.9, 129.4, 128.8, 128.7, 128.0, 127.8, 127.3, 125.8, 125.8, 124.9, 124.6, 123.3, 121.6, 120.2. **HRMS** (ESI): exact mass calcd for $C_{28}H_{19}NNaO_3^+$ $(M+Na)^+$ requires m/z 440.1257, found m/z 440.1257.

(*S*)-1-(2-(3-(benzyloxy)-3-oxoprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3af)

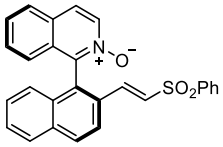


3af

Foamy solid, 16.5 mg, 38% yield, m.p.: 197.2-198.8 °C, 91% ee. R_f = 0.44 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 28.785 min, 35.675 min. $[\alpha]_D^{25}$ = -82.02 (c = 0.330, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.2 Hz, 1H), 8.05 (d, *J* = 9.0 Hz, 1H), 7.93 (t, *J* = 9.0 Hz, 2H), 7.89 (d, *J* = 8.4 Hz, 1H), 7.83 (d, *J* = 7.2 Hz, 1H), 7.56 (t, *J* = 7.2 Hz, 1H), 7.52 (t, *J* = 7.2Hz, 1H), 7.41 – 7.28 (m, 6H), 7.24 (d, *J* = 7.2 Hz, 2H), 7.12 (d, *J* = 8.4 Hz, 1H), 6.98 (d, *J* = 9.0 Hz, 1H), 6.59 (d, *J*

= 15.6 Hz, 1H), 5.14 – 5.05 (m, 2H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 166.2, 143.2, 141.8, 137.7, 136.0, 134.6, 132.1, 131.7, 130.5, 130.4, 130.2, 129.9, 128.7, 128.6, 128.6, 128.2, 128.0, 127.9, 127.6, 127.2, 125.7, 125.0, 124.5, 123.2, 120.7, 66.3. **HRMS** (ESI): exact mass calcd for $C_{29}H_{21}NNaO_3^+$ $(M+Na)^+$ requires m/z 454.1414, found m/z 454.1409.

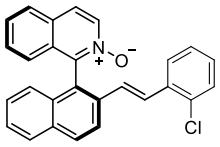
(*S*)-1-(2-(2-(phenylsulfonyl)vinyl)naphthalen-1-yl)isoquinoline-*N*-oxide (3ag)



3ag

Foamy solid, 11.4 mg, 26% yield, m.p.: 108.3-110.7 ºC, 97% ee. R_f = 0.33 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 15.085 min, 20.340 min. $[\alpha]_D^{25}$ = -91.82 (c = 0.228, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.2 Hz, 1H), 8.03 (d, *J* = 9.0 Hz, 1H), 7.91 (dd, *J* = 18.6, 8.4 Hz, 2H), 7.83 (d, *J* = 7.2 Hz, 1H),7.75 (d, *J* = 9.0 Hz, 1H), 7.68 (d, *J* = 7.8 Hz, 2H), 7.61 – 7.50 (m, 3H), 7.43 (t, *J* = 7.8 Hz, 2H), 7.40 – 7.34 (m, 2H), 7.23 (d, *J* = 15.6 Hz, 1H), 7.13 (d, *J* = 8.4 Hz, 1H), 6.91 – 6.86 (m, 2H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 142.6, 140.1, 139.6, 137.6, 134.7, 133.4, 131.6, 130.7, 130.7, 130.5, 130.3, 130.3, 130.0, 129.3, 128.8, 128.7, 128.6, 128.1, 128.1, 127.8, 127.4, 125.9, 124.8, 123.4. **HRMS** (ESI): exact mass calcd for $C_{27}H_{19}NNaO_3S^+$ $(M+Na)^+$ requires m/z 460.0978, found m/z 460.0968.

(*S*)-1-(2-(2-chlorostyryl)naphthalen-1-yl)isoquinoline-*N*-oxide (3ah)

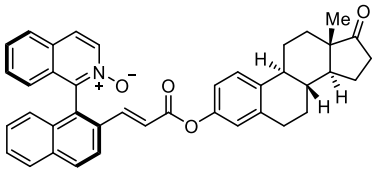


3ah

Foamy solid, 15.8 mg, 39% yield, m.p.: 196.2-198.1 ºC, 93% ee. R_f = 0.46 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 15.553 min, 24.103 min. $[\alpha]_D^{25}$ = -92.62 (c = 0.231, CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 7.2 Hz, 1H), 8.07 (s, 2H), 7.96 – 7.82 (m, 3H), 7.62 – 7.52 (m, 2H), 7.50 – 7.44 (m, 1H), 7.41 – 7.36 (m, 1H), 7.35 – 7.27 (m, 2H), 7.20 – 7.16 (m, 1H), 7.13 – 7.00 (m, 4H), 6.66 (d, *J* = 16.4 Hz, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 144.5, 137.7, 135.2, 134.8, 133.7, 133.6, 131.9, 130.5, 130.4, 129.8, 129.8, 128.9, 128.8, 128.6, 128.3, 128.0, 127.6, 127.2, 127.1,

126.9, 126.8, 126.6, 125.5, 125.2, 124.2, 123.6. **HRMS** (ESI): exact mass calcd for $C_{27}H_{18}ClNNaO^+$ $(M+Na)^+$ requires m/z 430.0969, found m/z 430.0962.

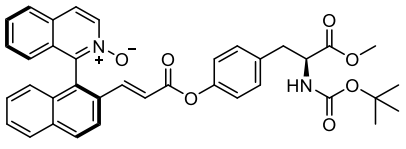
1-((*S*)-2-((*E*)-3-(((8*R*,9*S*,13*S*,14*S*)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl)oxy)-3-oxoprop-1-en-1-yl)naphthalen-1-yl) isoquinoline-*N*-oxide (3ai)



3ai

Foamy solid, 27.9 mg, 47% yield, m.p.: 165.9-167.8 ºC, 90% de. R_f = 0.44 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AD, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 34.095 min, 45.887 min. $[\alpha]_D^{21}$ = +15.41 (c = 0.558, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 1H), 8.08 (d, *J* = 8.4 Hz, 1H), 7.97 (dd, *J* = 21.0, 8.4 Hz, 2H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.82 (d, *J* = 7.2 Hz, 1H), 7.53 (q, *J* = 7.8 Hz, 2H), 7.44 – 7.33 (m, 3H), 7.24 (d, *J* = 8.4 Hz, 1H), 7.13 (d, *J* = 8.4 Hz, 1H), 7.00 (d, *J* = 8.4 Hz, 1H), 6.82 – 6.76 (m, 2H), 6.73 (d, *J* = 15.6 Hz, 1H), 2.91 – 2.82 (m, 2H), 2.49 (dd, *J* = 19.2, 8.4 Hz, 1H), 2.41 – 2.35 (m, 1H), 2.29 – 2.22 (m, 1H), 2.17 – 2.09 (m, 1H), 2.06 – 1.93 (m, 3H), 1.64 – 1.39 (m, 6H), 0.89 (s, 3H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 165.1, 148.6, 143.0, 142.9, 137.9, 137.6, 137.3, 134.6, 131.9, 131.6, 130.6, 130.4, 130.3, 129.9, 128.7, 128.6, 128.6, 127.9, 127.8, 127.2, 126.3, 125.7, 124.8, 124.5, 123.2, 121.6, 120.2, 118.7, 50.4, 48.0, 44.2, 38.0, 35.9, 31.6, 29.4, 26.3, 25.8, 21.6, 13.9. **HRMS** (ESI): exact mass calcd for $C_{40}H_{35}NNaO_4^+$ $(M+Na)^+$ requires m/z 616.2458, found m/z 616.2452.

1-((*S*)-2-((*E*)-3-(4-((*S*)-2-((tert-butoxycarbonyl)amino)-3-methoxy-3-oxopropyl)phenoxy)-3-oxoprop-1-en-1-yl)naphthalen-1-yl)isoquinoline-*N*-oxide (3aj)

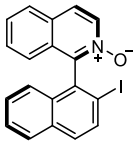


3aj

Foamy solid, 27.1 mg, 44% yield, m.p.: 110.3-112.4 ºC, 93% de. R_f = 0.49 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL IA, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 17.260 min, 28.822 min. $[\alpha]_D^{21}$ = -40.21 (c = 0.542, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.37 (d, *J* = 6.6 Hz, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.96 (dd, *J* = 23.4, 6.0 Hz, 2H), 7.84 (d,

J = 22.8, 7.2 Hz, 2H), 7.52 (q, *J* = 8.4 Hz, 2H), 7.43 – 7.31 (m, 3H), 7.10 (dd, *J* = 25.8, 8.4 Hz, 3H), 6.97 (dd, *J* = 19.2, 8.4 Hz, 3H), 6.71 (d, *J* = 15.6 Hz, 1H), 5.05 (d, *J* = 7.8 Hz, 1H), 4.61 – 4.48 (m, 1H), 3.67 (s, 3H), 3.12 – 2.94 (m, 2H), 1.41 (s, 9H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 172.2, 164.8, 155.1, 149.7, 143.0, 142.9, 137.6, 134.6, 133.6, 131.8, 131.6, 130.5, 130.5, 130.3, 130.1, 129.8, 128.7, 128.6, 128.6, 127.8, 127.7, 127.2, 125.7, 124.8, 124.5, 123.1, 121.6, 120.0, 79.9, 54.4, 52.2, 37.6, 28.3. **HRMS** (ESI): exact mass calcd for $C_{37}H_{34}N_2NaO_7^+$ $(M+Na)^+$ requires m/z 641.2258, found m/z 641.2253.

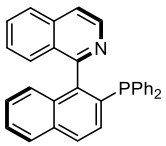
(*R*)-1-(2-iodonaphthalen-1-yl)isoquinoline-*N*-oxide (4a) [1]



4a

Foamy solid, 194.5 mg, 70% yield, 95% ee. R_f = 0.23 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL AY-RH, *n*-hexane/2-propanol = 60/40, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 14.747 min, 16.750 min. $[\alpha]_D^{24}$ = -12.67 (c = 0.1, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.74 (s, 1H), 8.39 (d, *J* = 7.2 Hz, 1H), 8.17 (q, *J* = 8.4 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.90 (dd, *J* = 19.2, 8.4 Hz, 2H), 7.64 (t, *J* = 7.8 Hz, 1H), 7.58 (t, *J* = 7.8 Hz, 1H), 7.44 – 7.37 (m, 2H), 7.27 (d, *J* = 9.0 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 146.9, 137.5, 135.5, 134.3, 133.0, 132.8, 131.0, 129.7, 129.4, 128.8, 128.6, 128.6, 127.9, 127.1, 126.9, 125.1, 124.7, 124.3, 98.2. **HRMS** (ESI): exact mass calcd for $C_{19}H_{12}INNaO^+$ $(M+Na)^+$ requires m/z 419.9856, found m/z 419.9855.

(*R*)-1-(2-(diphenylphosphanyl)naphthalen-1-yl)isoquinoline ((*R*)-QUINAP) [3]

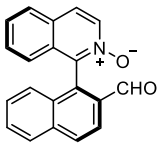


(*R*)-QUINAP

White solid, 31.6 mg, 72% yield, 92% ee. R_f = 0.34 (Pet/EtOAc, 4/1, v/v). **HPLC** CHIRALCEL ID, *n*-hexane/2-propanol = 85/15, flow rate = 1.0 mL/min, λ = 230 nm, retention time: 12.103 min, 16.355 min. $[\alpha]_D^{24}$ = +122.6 (c = 0.108, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.63 (d, *J* = 6.0 Hz, 1H), 7.88 (t, *J* = 8.4 Hz, 3H), 8.73 (d, *J* = 5.4 Hz, 1H), 7.61 – 7.57 (m, 1H), 7.48 – 7.42 (m, 2H), 7.30 – 7.14 (m, 13H), 7.10 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 160.6 (d, *J* = 7.5

Hz), 144.4 (d, *J* = 33.0 Hz), 142.4, 137.5 (d, *J* = 12.0 Hz), 137.4 (d, *J* = 10.5 Hz), 136.0, 134.9 (d, *J* = 13.5 Hz), 133.9, 133.7 (d, *J* = 6.0 Hz), 133.4, 133.2, 132.8 (d, *J* = 7.5 Hz), 130.1 (d, *J* = 4.5 Hz), 129.1 (d, *J* = 3.0 Hz), 128.8, 128.5, 128.4, 128.3, 128.2, 128.0, 127.5, 127.1, 127.0 (d, *J* = 1.5 Hz), 126.7, 120.4. **^{31}P NMR** (243 MHz, $CDCl_3$) δ 14.1. **HRMS** (ESI): exact mass calcd for $C_{31}H_{23}NP^+$ $(M+H)^+$ requires m/z 440.1563, found m/z 440.1560.

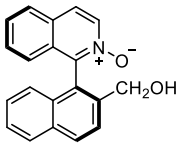
(*S*)-1-(2-formylnaphthalen-1-yl)isoquinoline-*N*-oxide (4aa)



4aa

Foamy solid, 51.4 mg, 86% yield, m.p.: 157.6-160.2 °C, 92% ee. R_f = 0.2 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 50/50, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 12.047 min, 27.918 min. $[\alpha]_D^{24}$ = +52.86 (c = 0.396, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 9.74 (s, 1H), 8.39 (d, *J* = 7.2 Hz, 1H), 8.17 (q, *J* = 8.4 Hz, 2H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.90 (dd, *J* = 19.8, 8.4 Hz, 2H), 7.64 (t, *J* = 7.8 Hz, 1H), 7.58 (t, *J* = 7.8 Hz, 1H), 7.44 – 7.37 (m, 2H), 7.27 (d, *J* = 9.0 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 190.6, 141.9, 137.6, 136.7, 134.3, 132.8, 131.3, 130.9, 130.8, 130.1, 129.4, 128.9, 128.9, 128.6, 128.2, 127.3, 126.1, 124.7, 124.7, 123.7. **HRMS** (ESI): exact mass calcd for $C_{20}H_{14}NO_2^+$ $(M+H)^+$ requires m/z 300.1019, found m/z 300.1013.

(*S*)-1-(2-(hydroxymethyl)naphthalen-1-yl)isoquinoline-*N*-oxide (5aa)



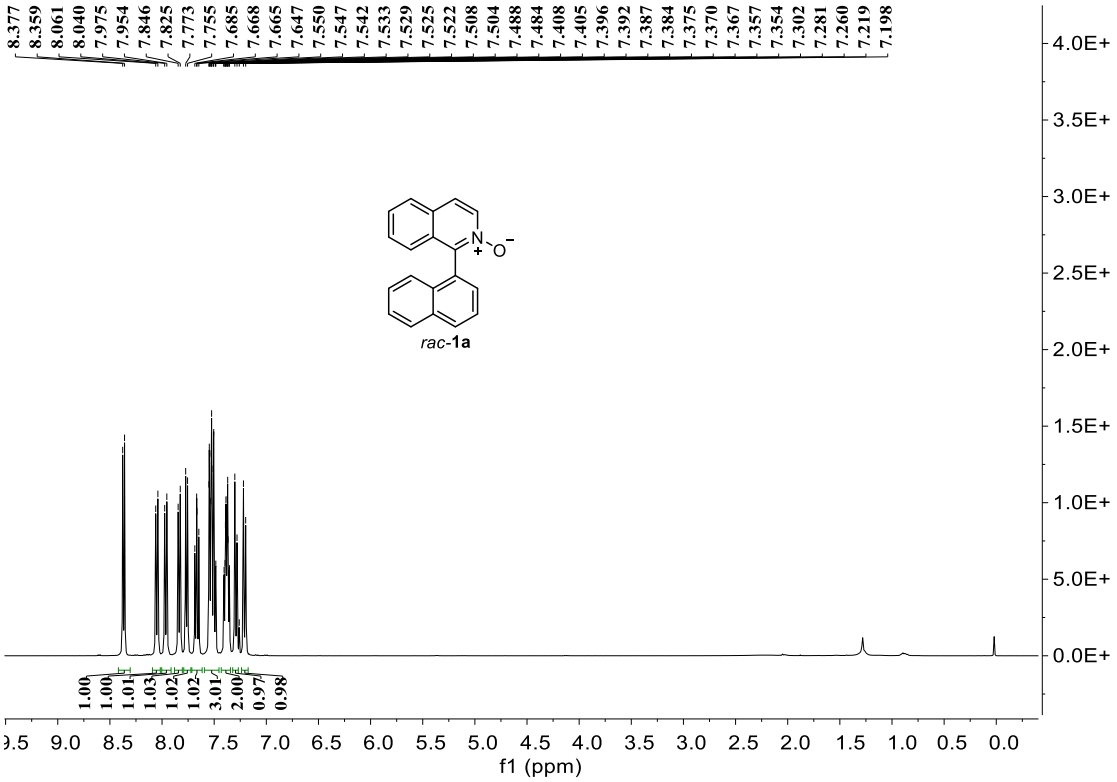
5aa

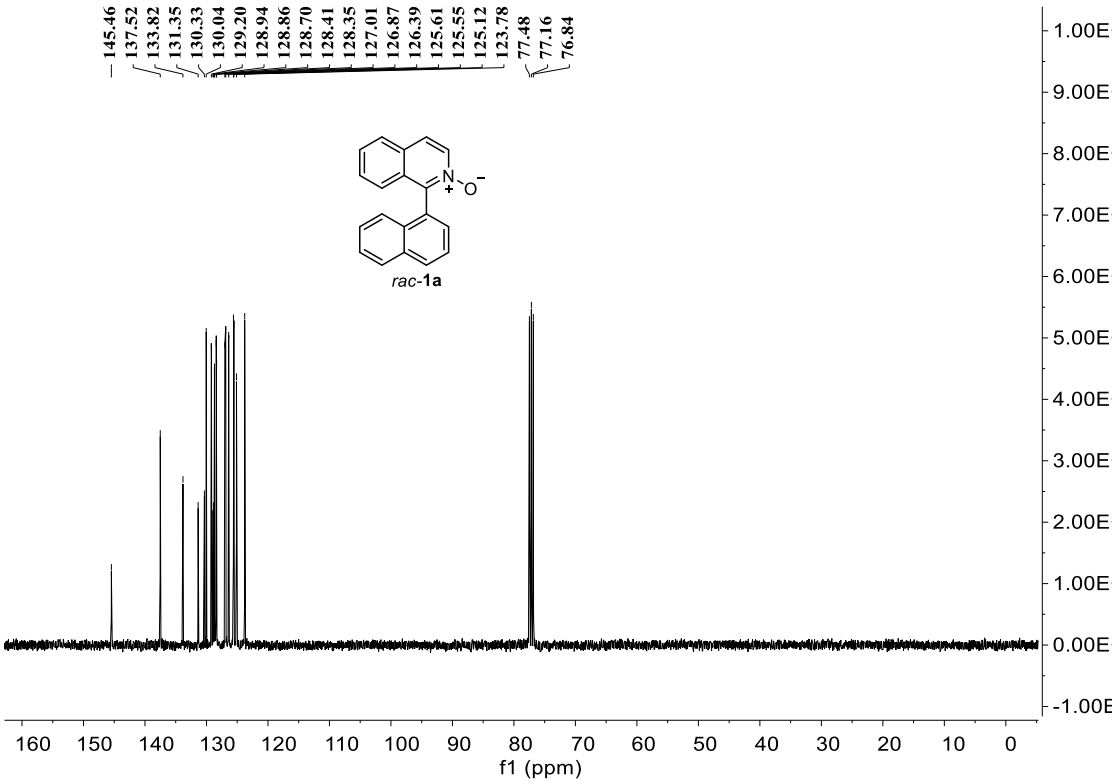
Foamy solid, 29.2 mg, 97% yield, m.p.: 198.8-200.9 °C, 92% ee. R_f = 0.1 (EtOAc/MeOH, 50/1, v/v). **HPLC** CHIRALCEL OD-H, *n*-hexane/2-propanol = 50/50, flow rate = 1.0 mL/min, λ = 256 nm, retention time: 6.102 min, 8.757 min. $[\alpha]_D^{24}$ = +416.38 (c = 0.346, CH_2Cl_2). **^{1}H NMR** (600 MHz, $CDCl_3$) δ 8.40 (d, *J* = 7.2 Hz, 1H), 8.09 (d, *J* = 8.4 Hz, 1H), 7.93 (dd, *J* = 28.8, 7.8 Hz, 2H), 7.85 (d, *J* = 6.6 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 1H), 7.60 (t, *J* = 7.8 Hz, 1H), 7.48 (t, *J* = 7.2 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 1H), 7.29 (t, *J* = 7.2 Hz, 1H), 7.01 (dd, *J* = 13.8, 8.4 Hz, 2H), 5.00 (br, 1H), 4.52 – 4.45 (m, 1H), 4.33 (d, *J* = 11.4 Hz, 1H). **^{13}C NMR** (150 MHz, $CDCl_3$) δ 146.2, 138.9, 137.5, 133.6,

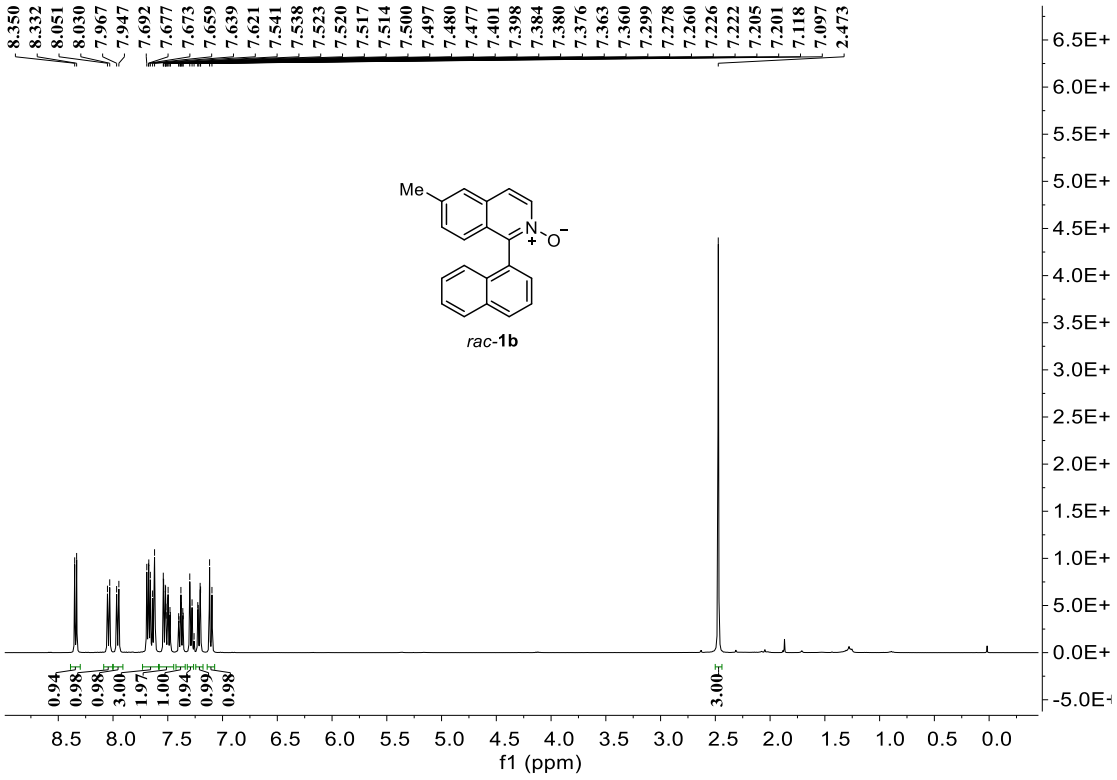
131.7, 130.9, 130.1, 129.8, 129.5, 129.5, 128.7, 128.1, 127.3, 127.2, 126.8, 126.4, 125.9, 125.2, 124.4, 65.4. **HRMS** (ESI): exact mass calcd for $C_{20}H_{15}NNaO_2^+$ $(M+Na)^+$ requires m/z 324.0995, found m/z 324.0986.

4. Copies of NMR spectra

(1) Copies of NMR spectra of starting materials



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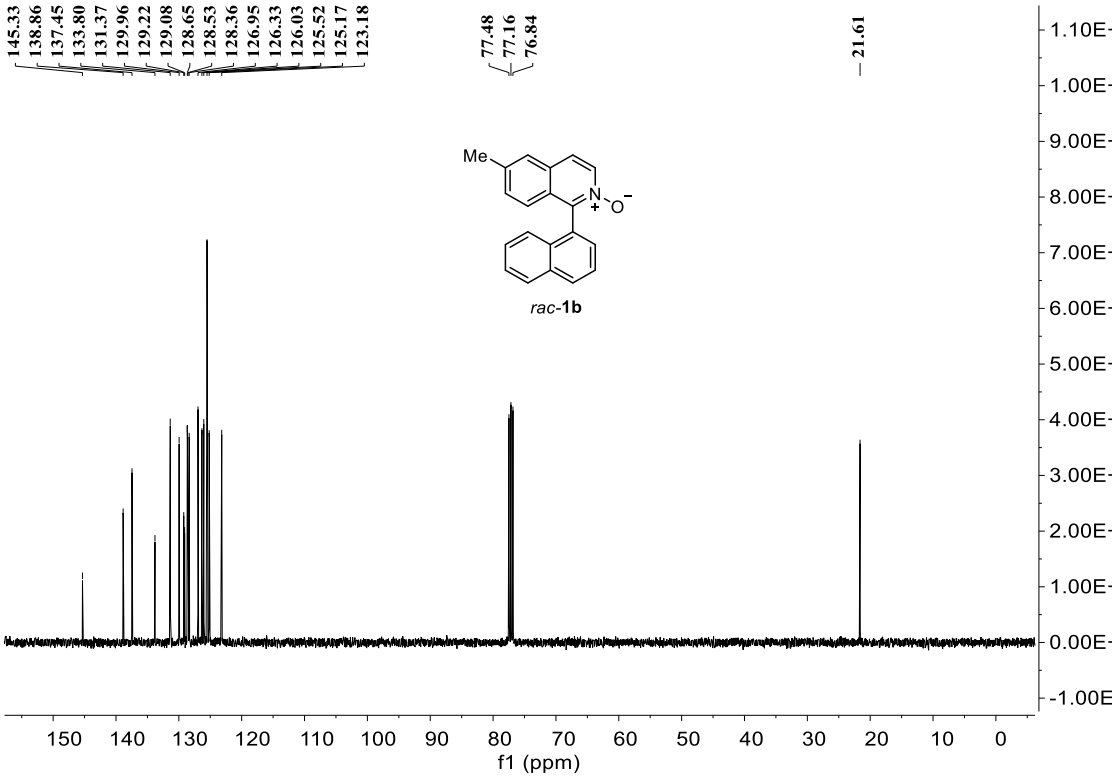
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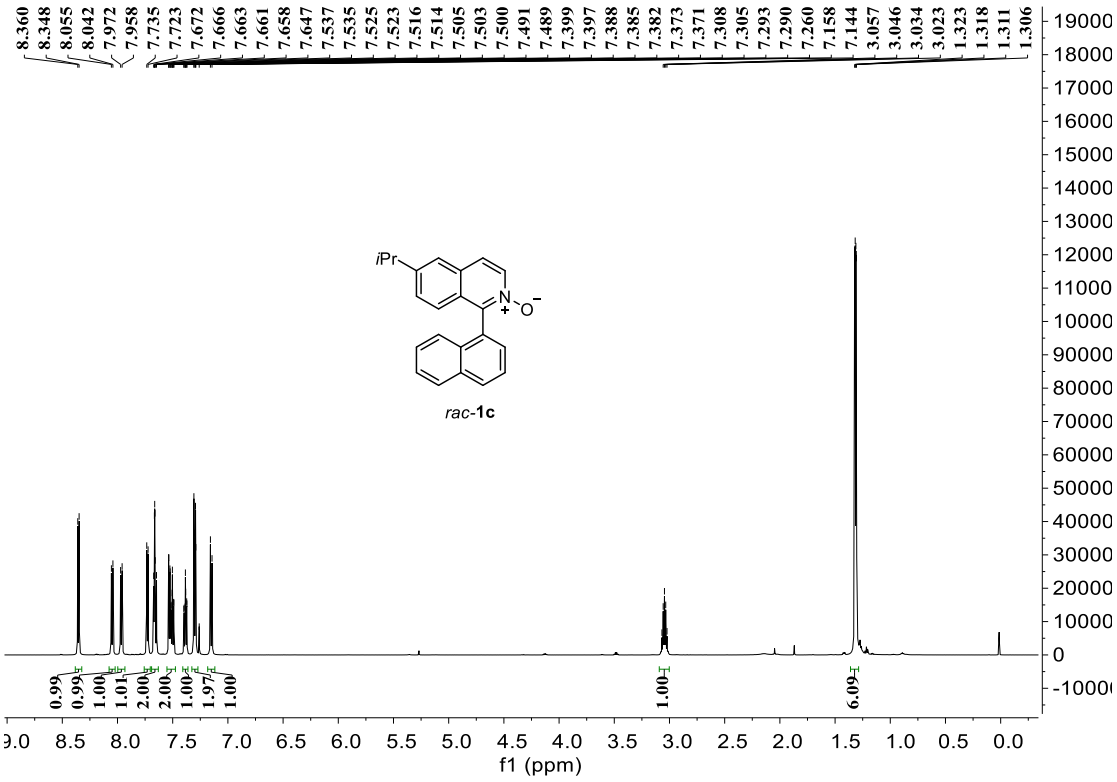
rac-1b
f1 (ppm)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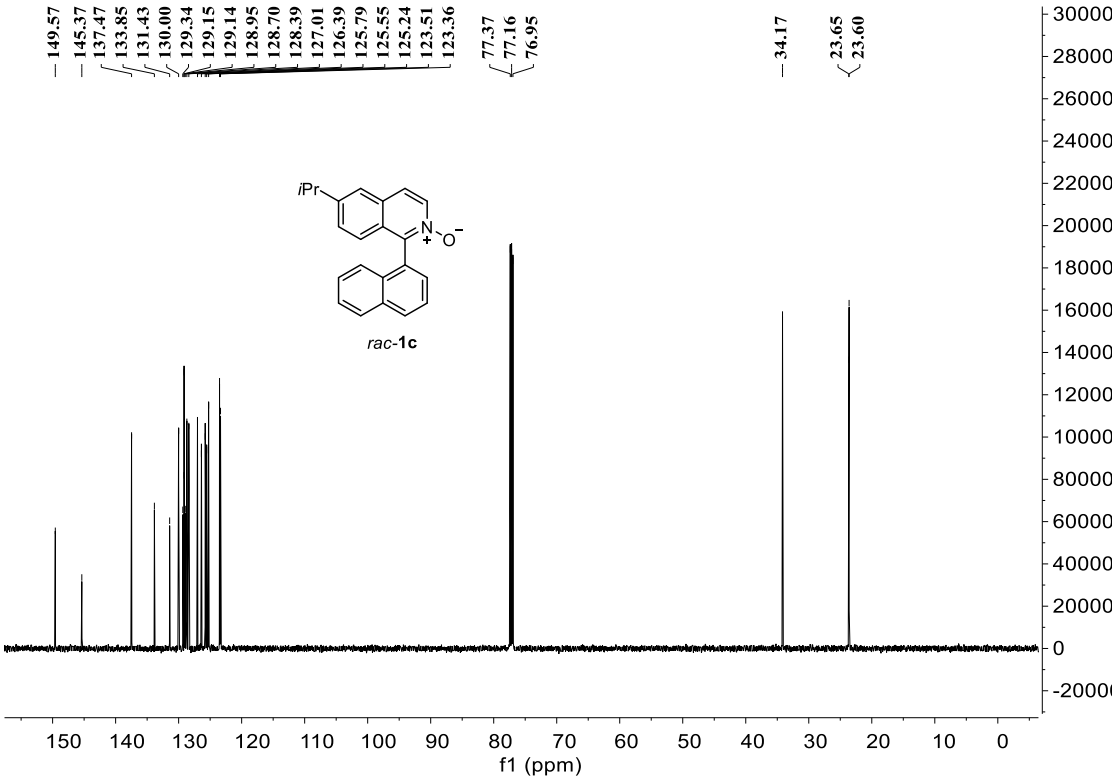
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rac-1c
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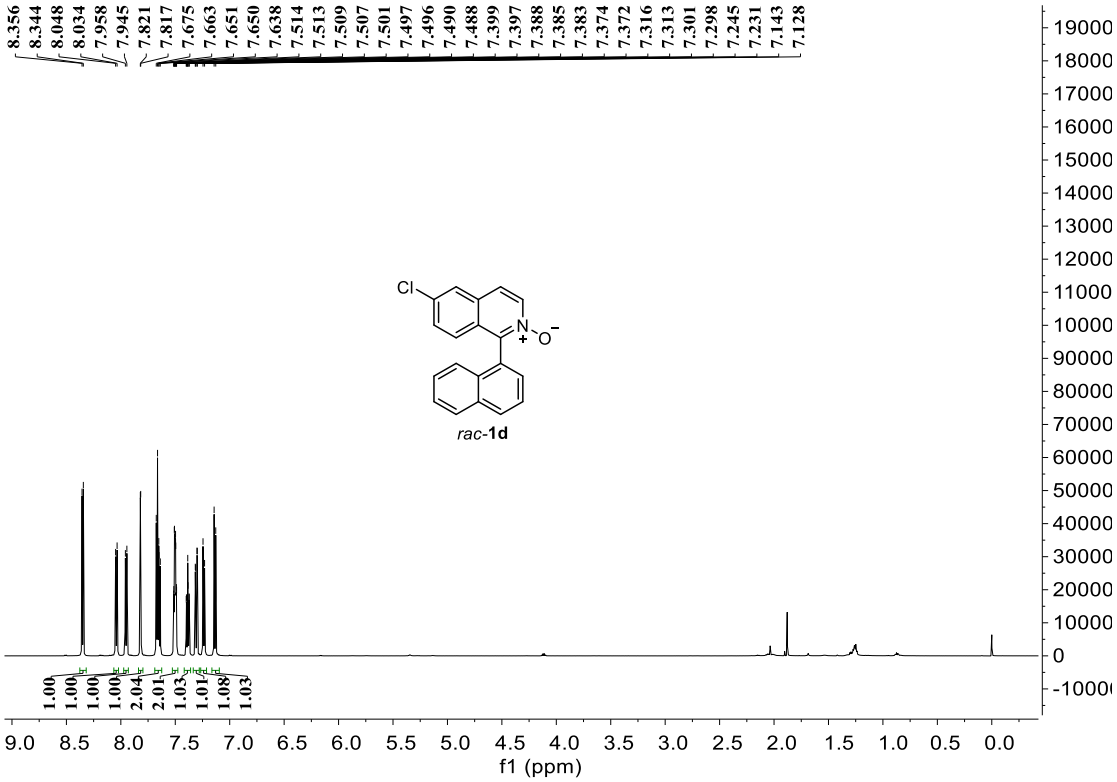
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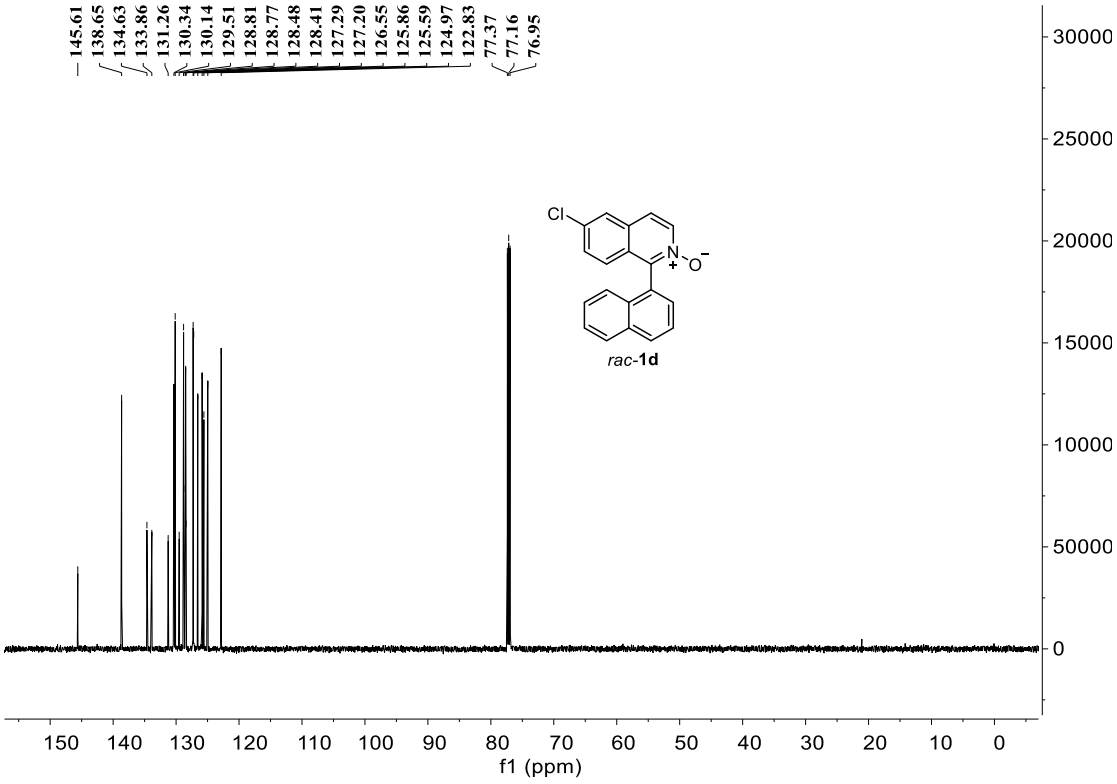
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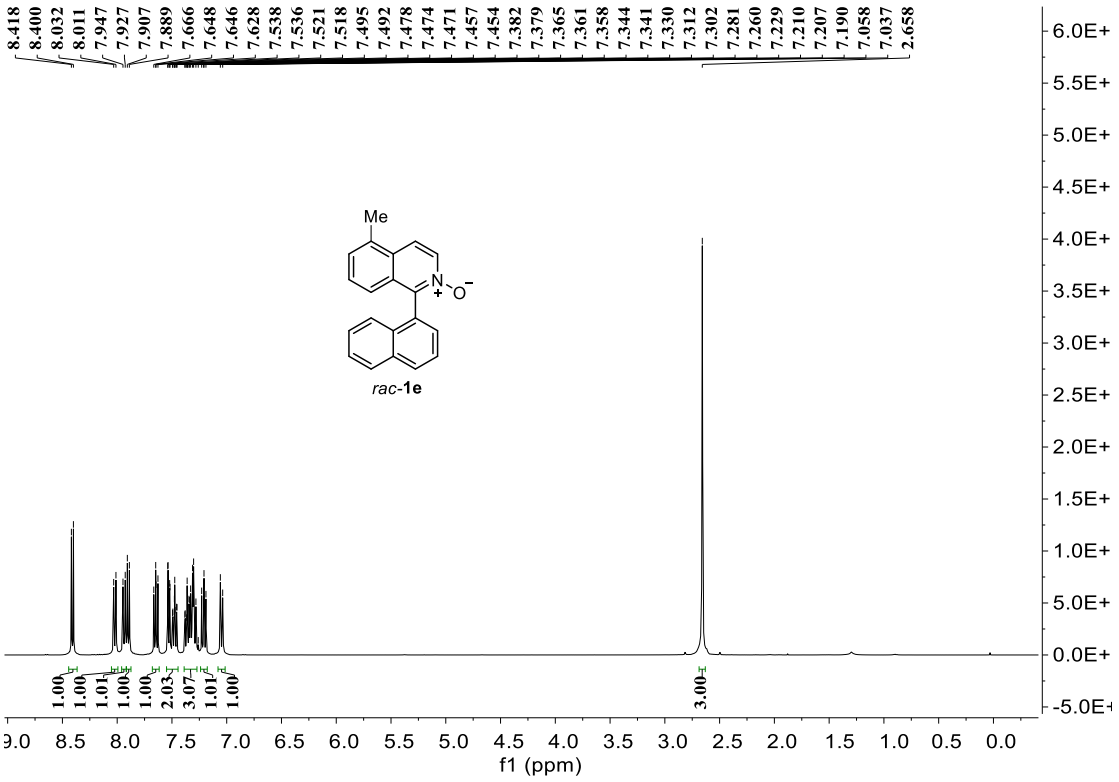
rac-1d
f1 (ppm)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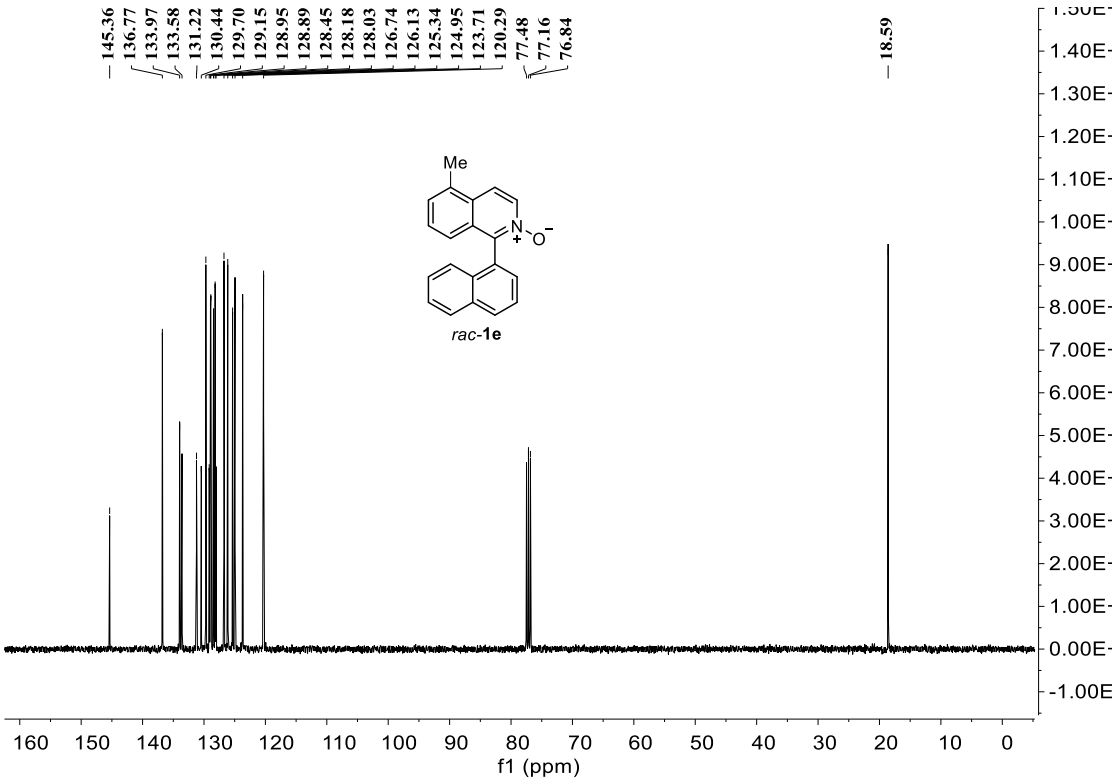
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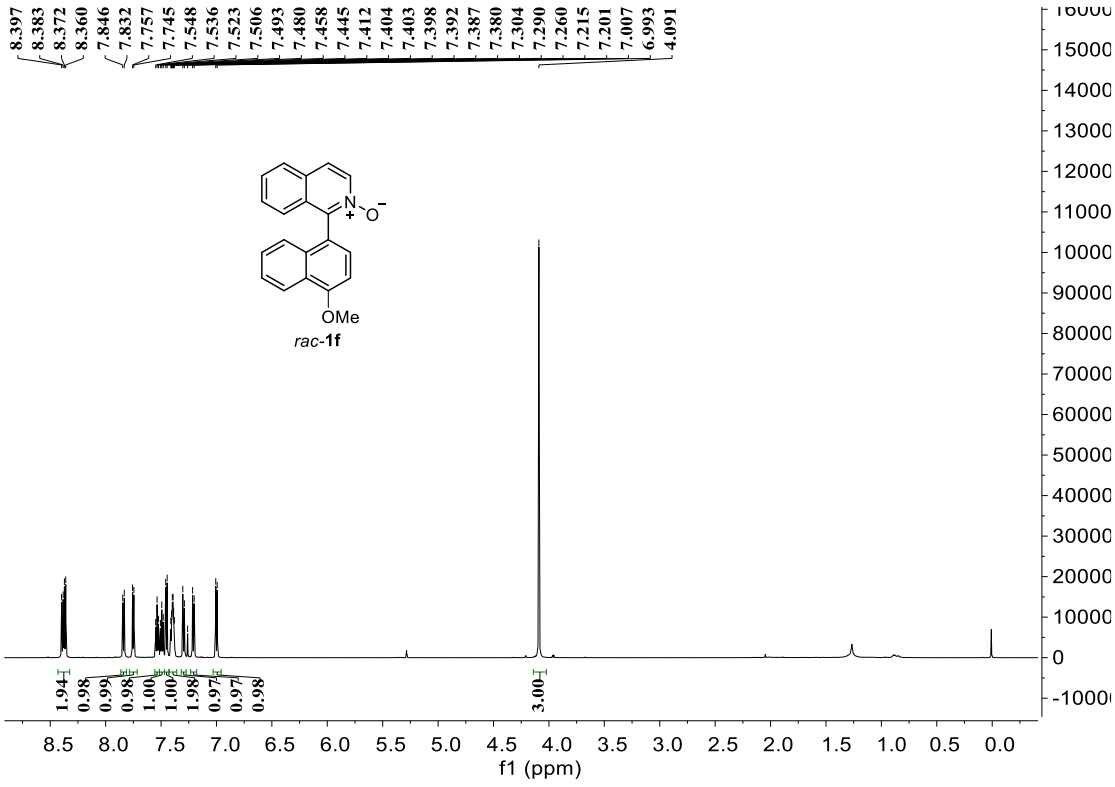
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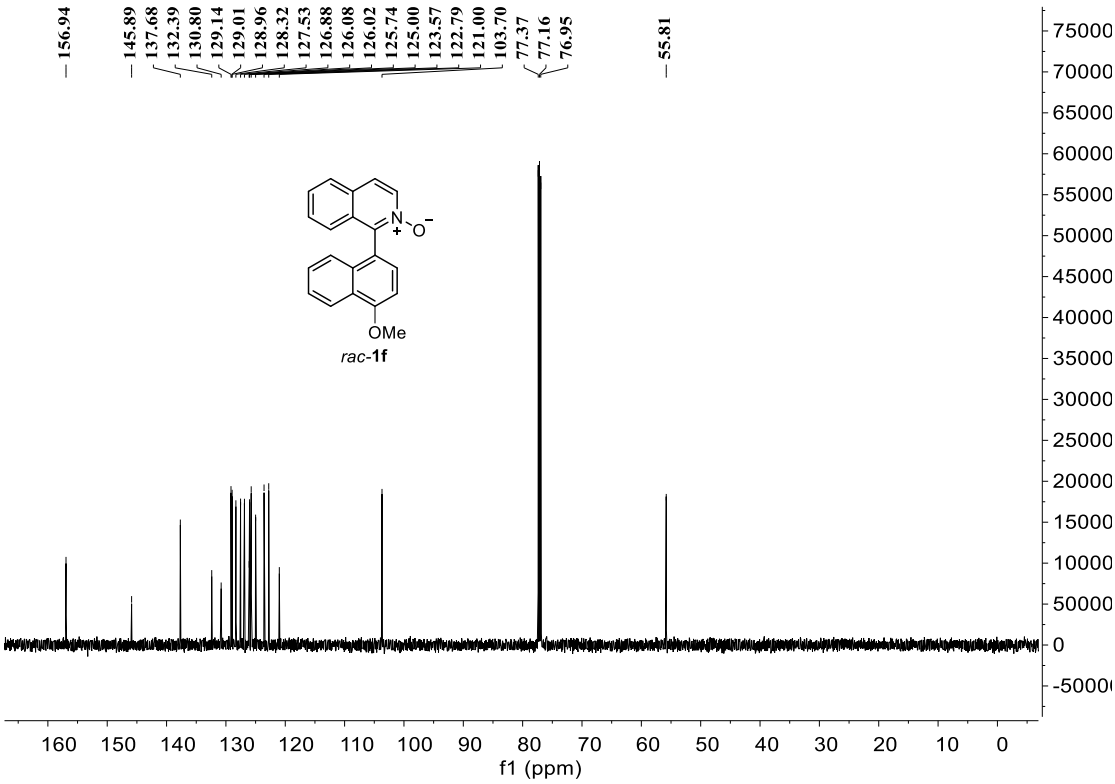
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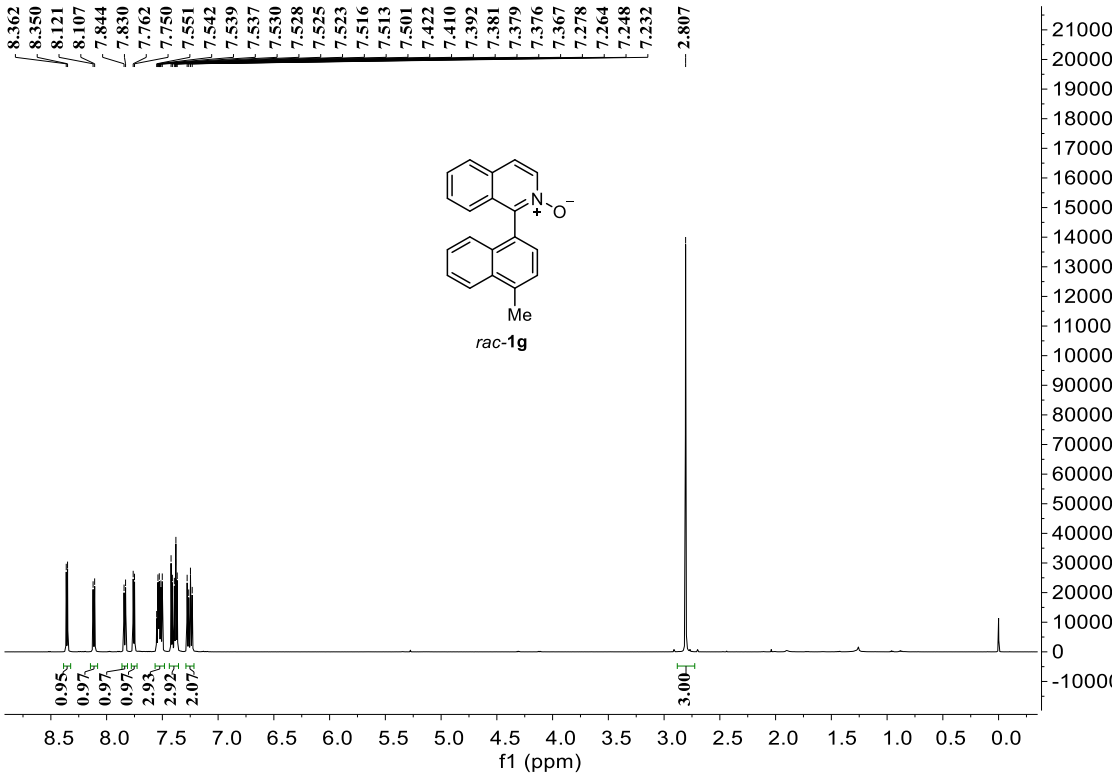
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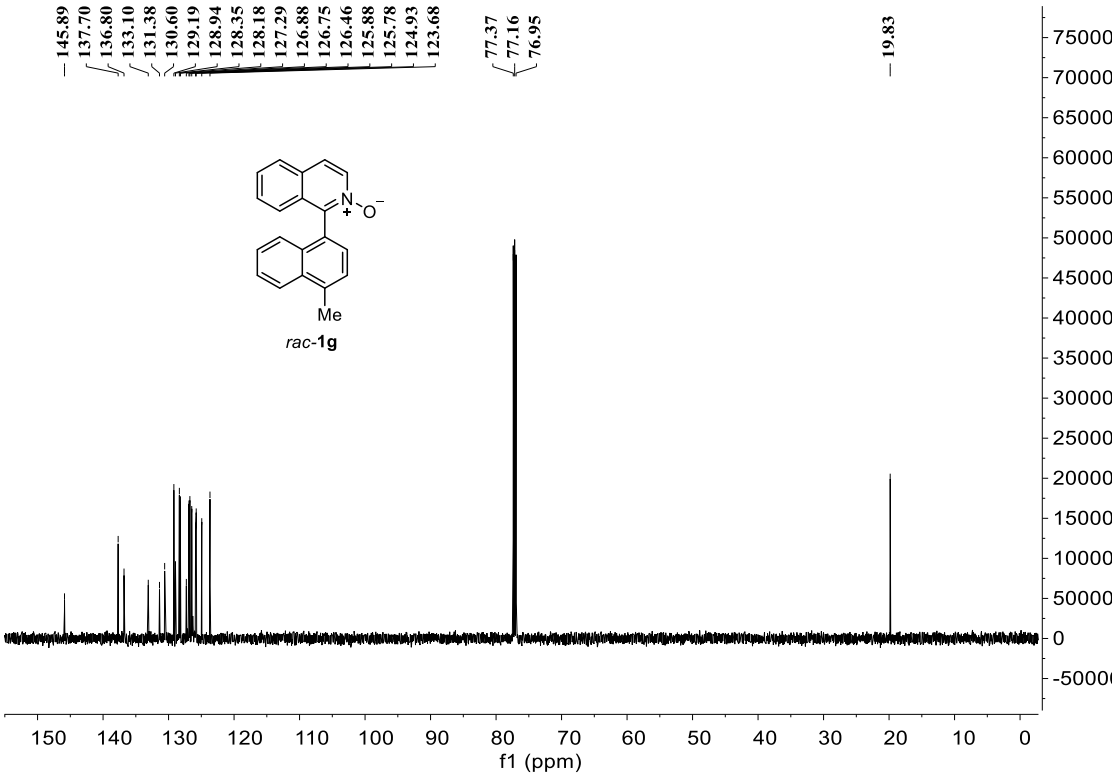
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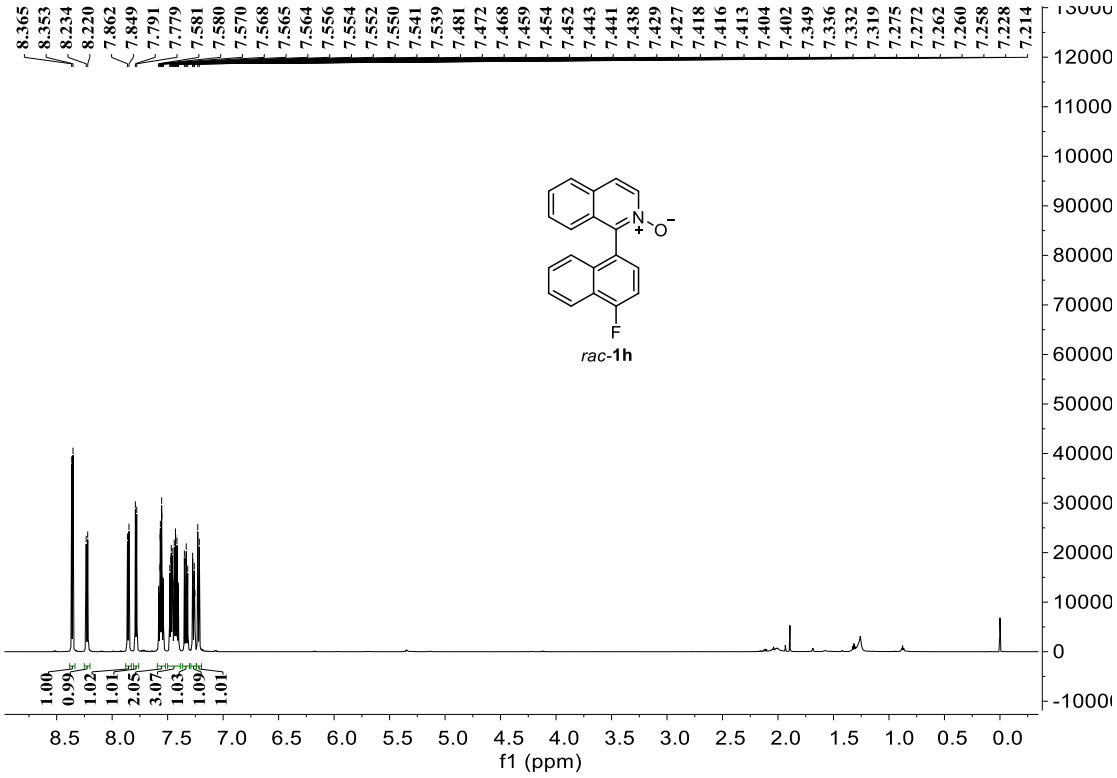
f1 (ppm)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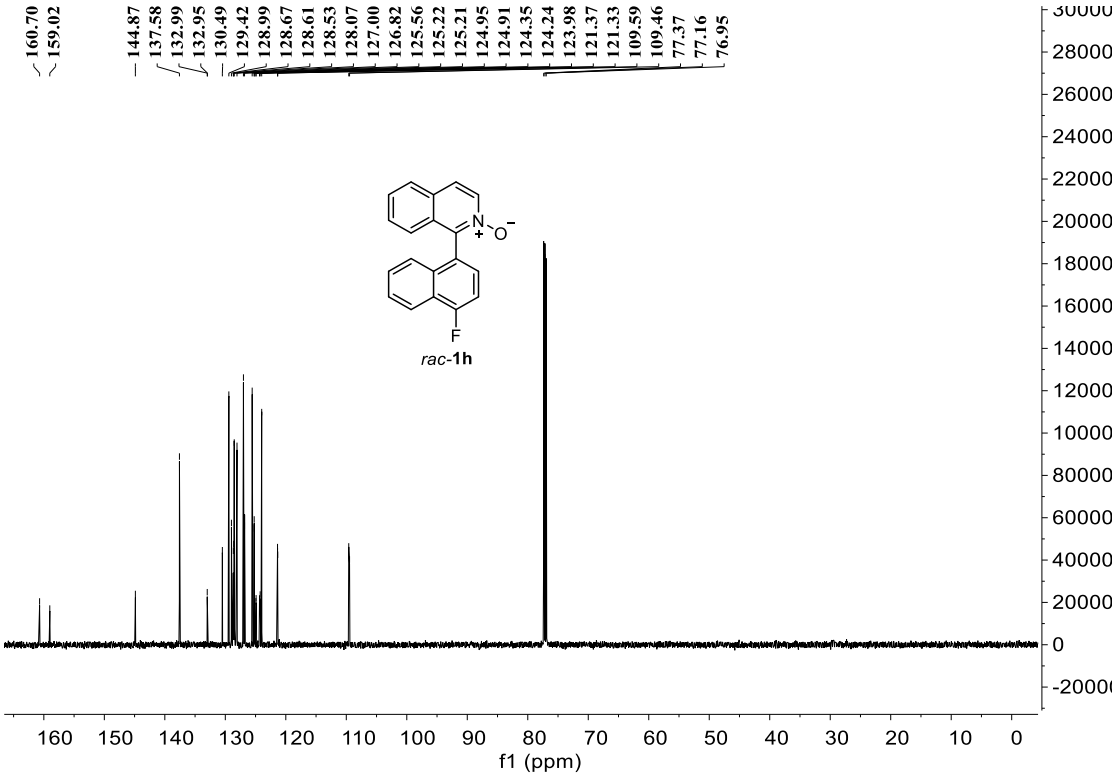
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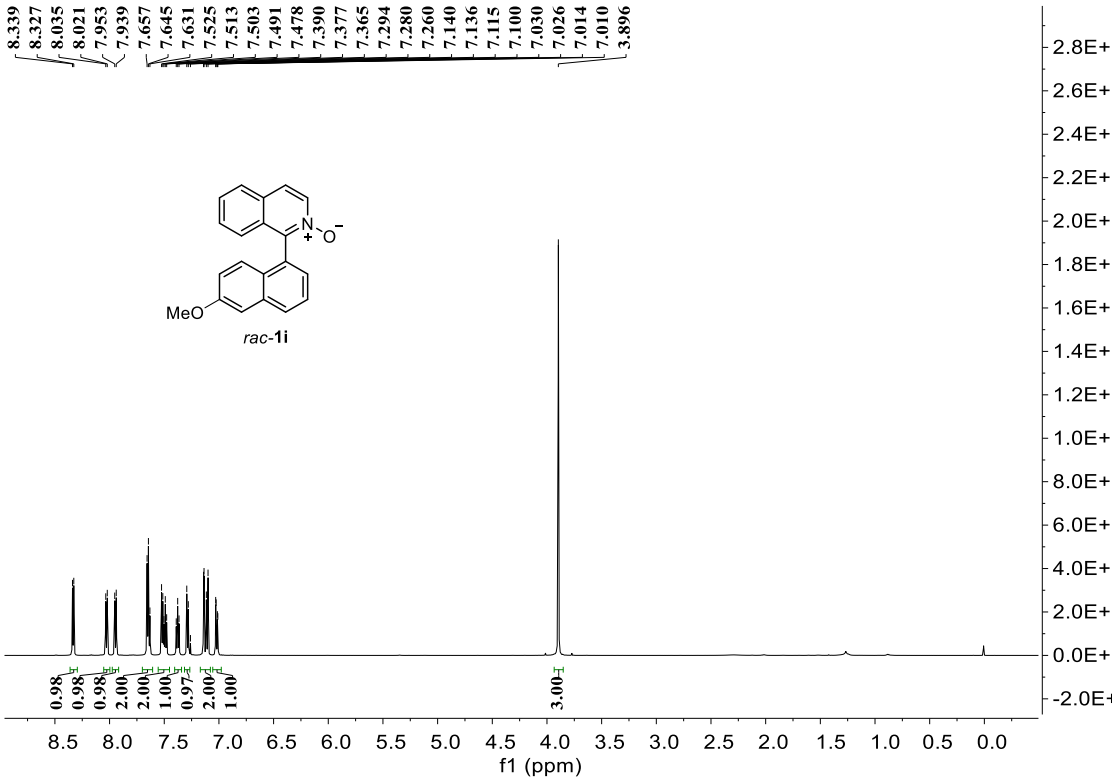
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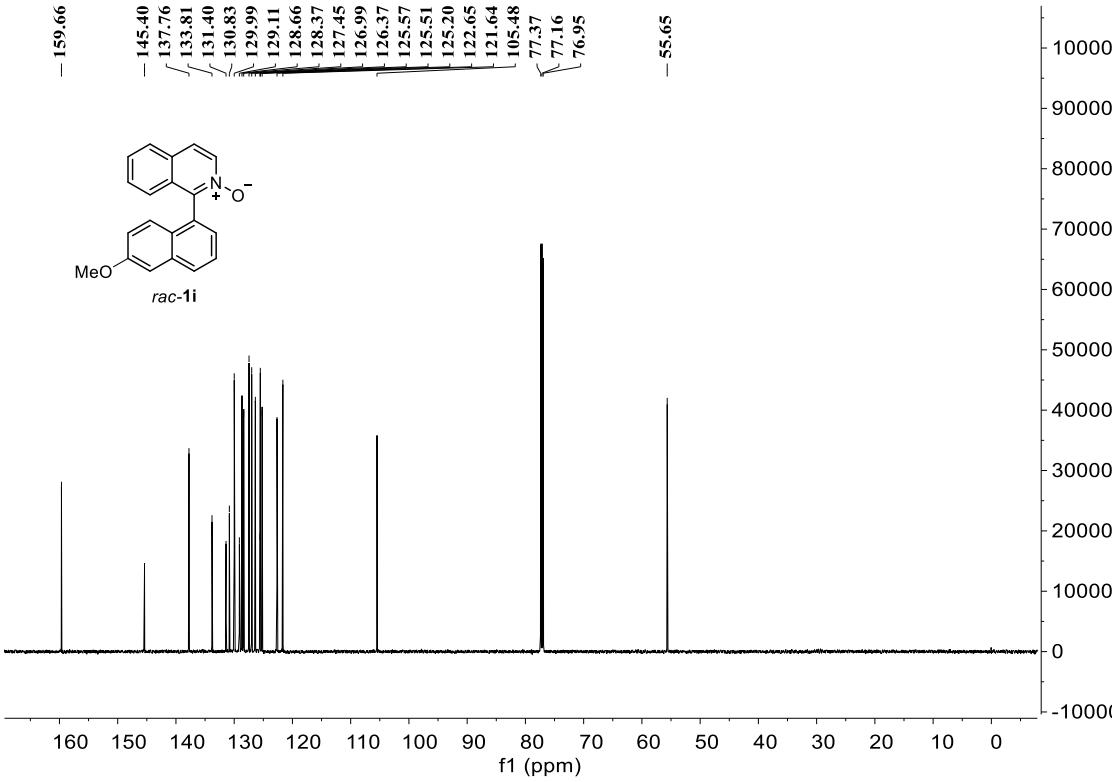
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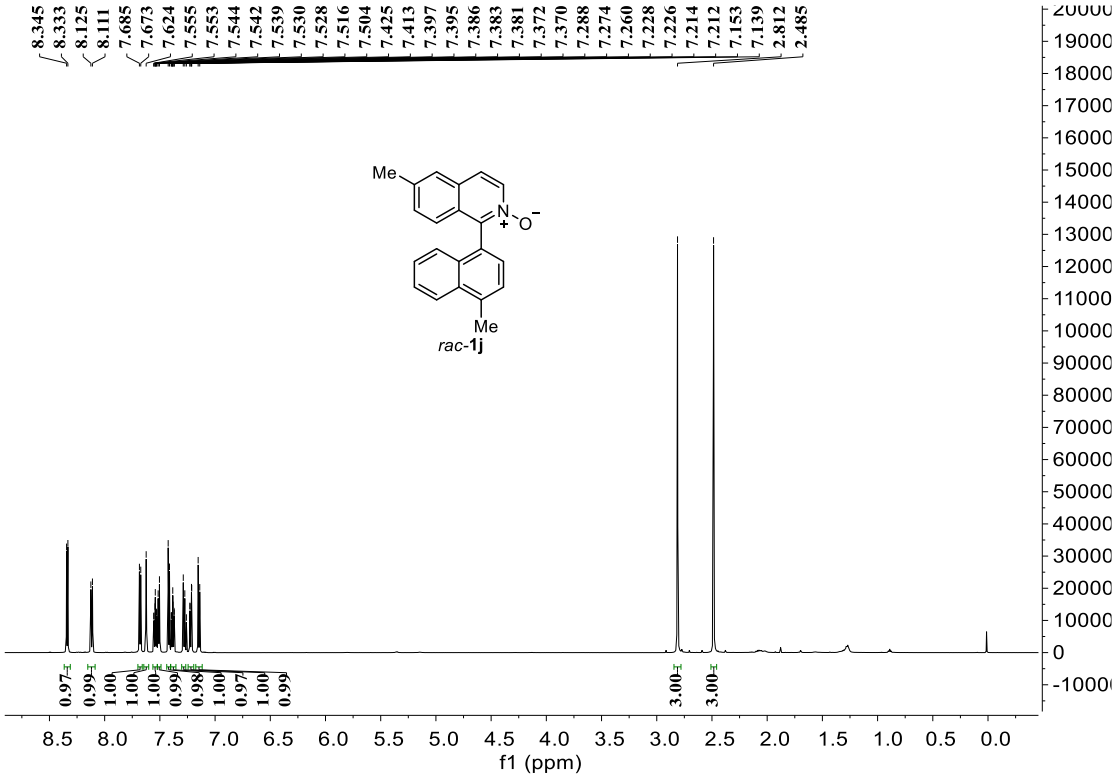
rac-1i
f1 (ppm)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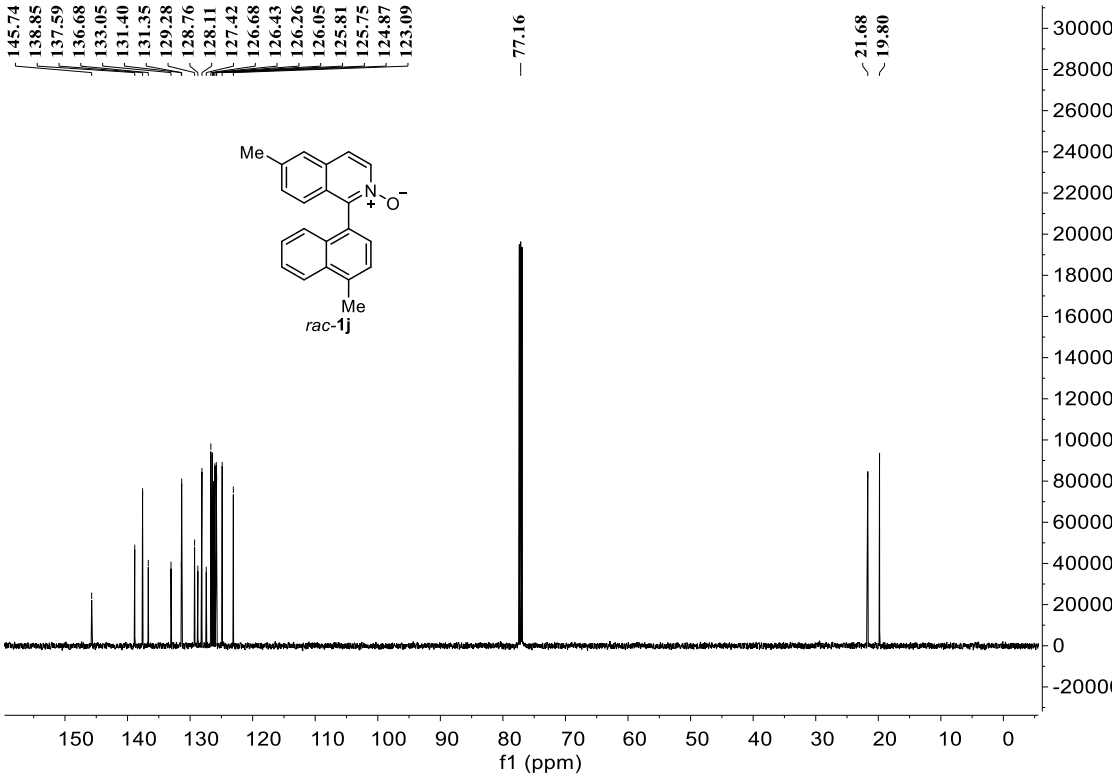
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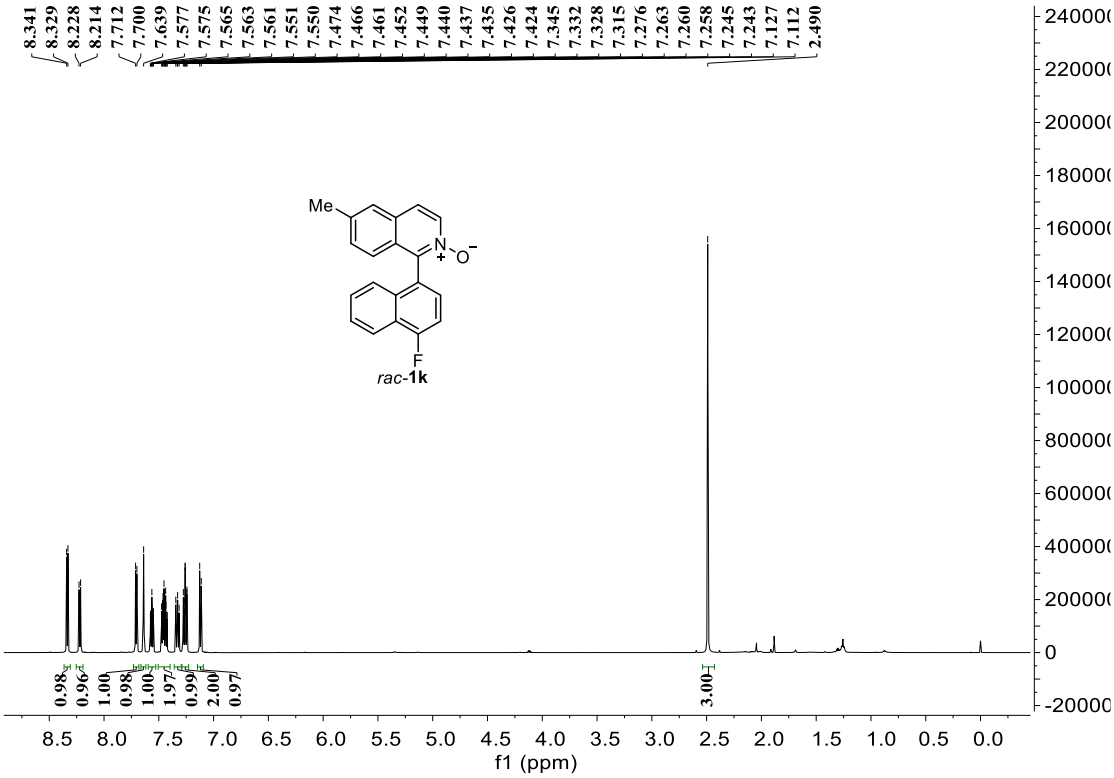
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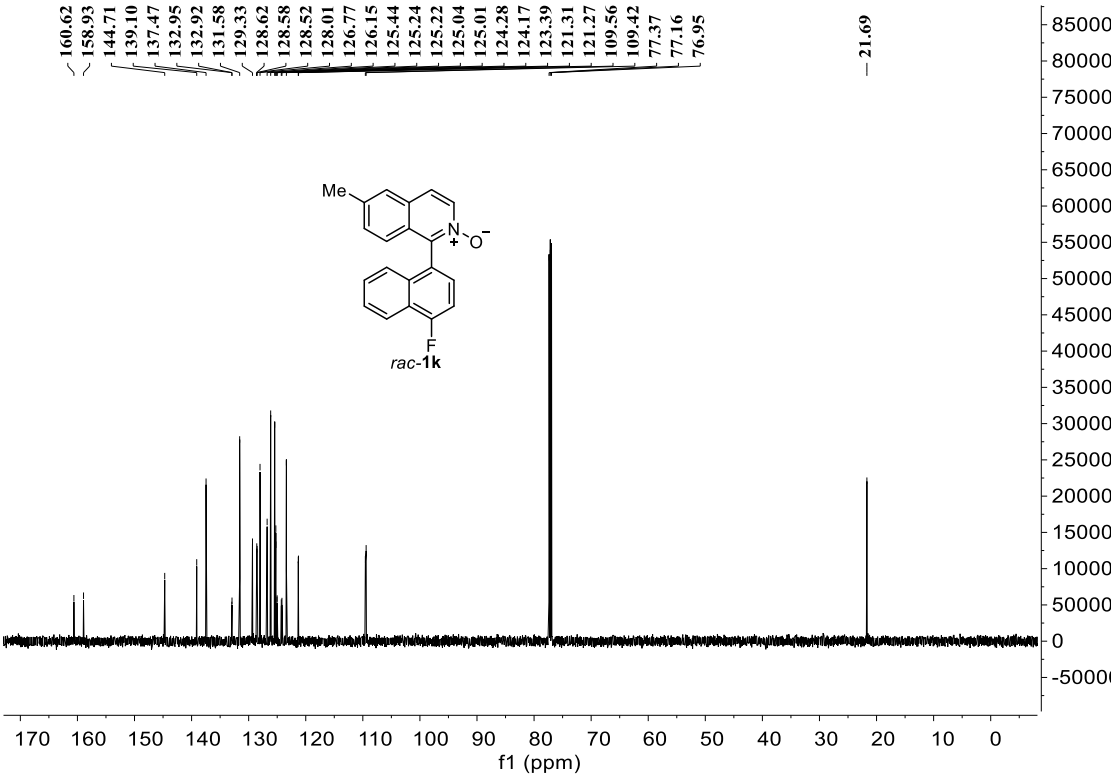
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125.01
124.28
124.17
123.39
121.31
121.27
109.56
109.42
77.37
77.16
76.95
21.69
Me
N
O
F
rac-1k
f1 (ppm)


-120.23
Me
N
O
F
rac-1k
8.0E+
7.5E+
7.0E+
6.5E+
6.0E+
5.5E+
5.0E+
4.5E+
4.0E+
3.5E+
3.0E+
2.5E+
2.0E+
1.5E+
1.0E+
5.0E+
0.0E+
-5.0E+
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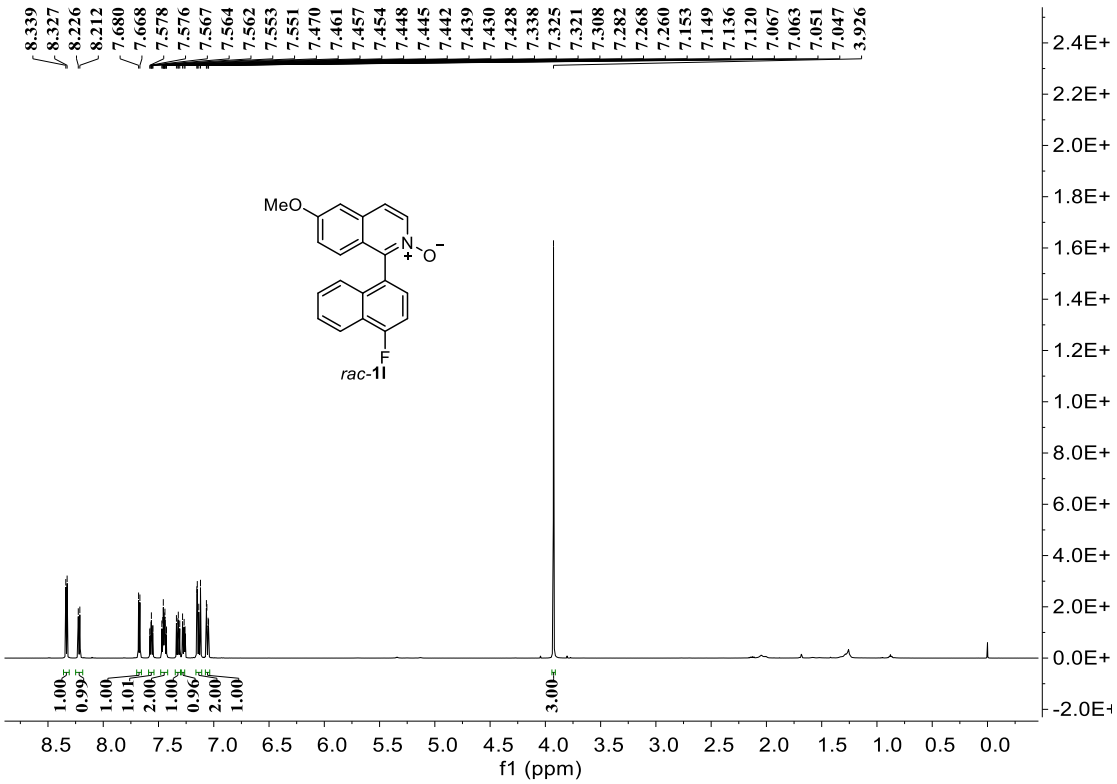
f1 (ppm)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7.562
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7.551
7.470
7.461
7.457
7.454
7.448
7.445
7.442
7.439
7.430
7.428
7.338
7.325
7.321
7.308
7.282
7.268
7.260
7.153
7.149
7.136
7.120
7.067
7.063
7.051
7.047
3.926
MeO
N
O
F
rac-1l
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1.00
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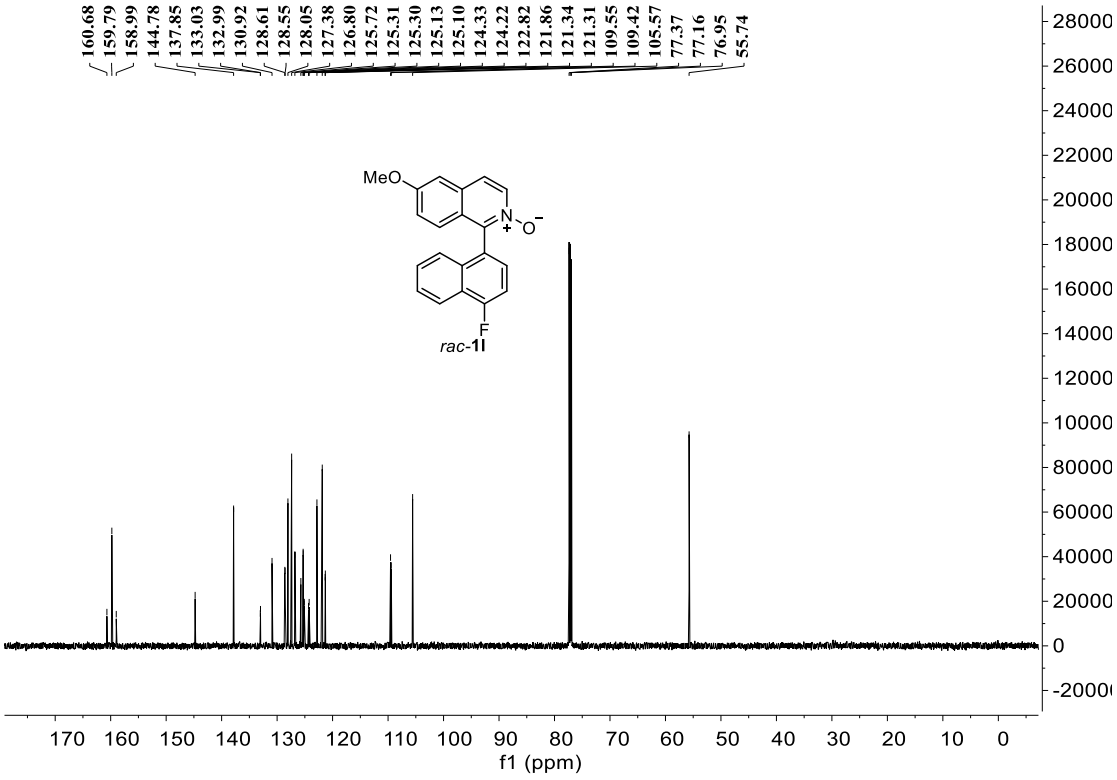
2.00
1.00
3.00
f1 (ppm)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128.55
128.05
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126.80
125.72
125.31
125.30
125.13
125.10
124.33
124.22
122.82
121.86
121.34
121.31
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109.42
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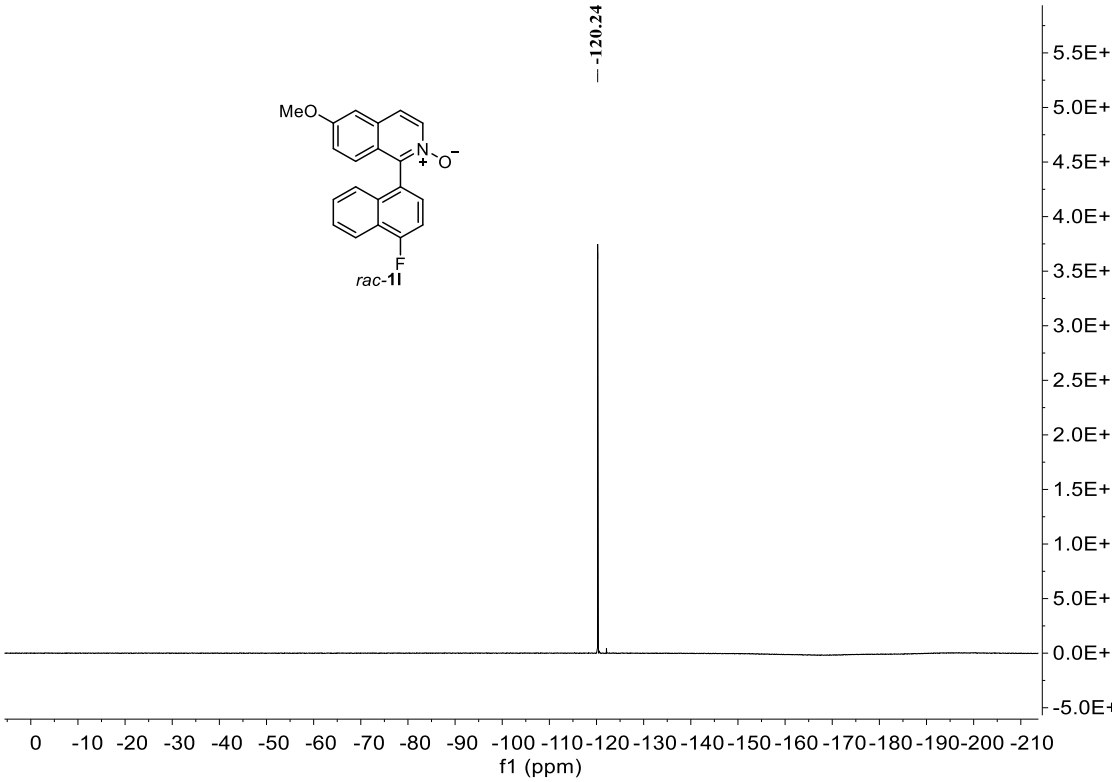
77.37
77.16
76.95
55.74
MeO
N
O
F
rac-1l
f1 (ppm)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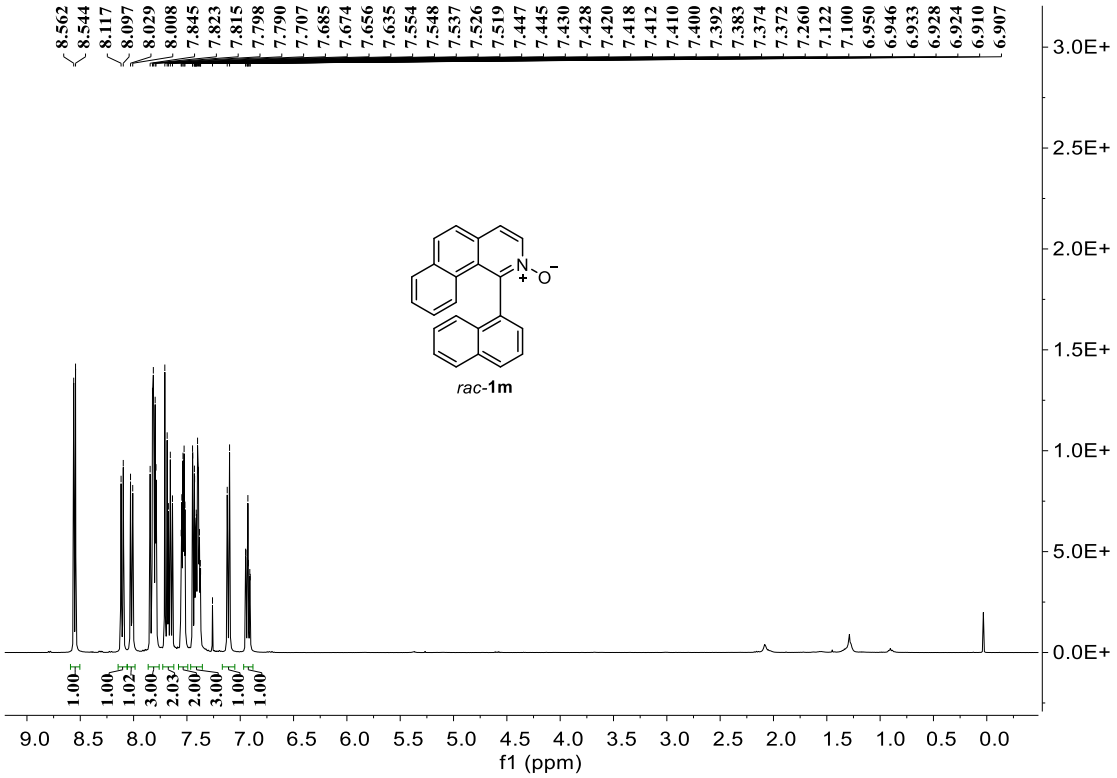
MeO
N
O
F
rac-1l
5.5E+
5.0E+
4.5E+
4.0E+
3.5E+
3.0E+
2.5E+
2.0E+
1.5E+
1.0E+
5.0E+
0.0E+
-5.0E+
0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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7.823
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7.798
7.790
7.707
7.685
7.674
7.656
7.635
7.554
7.548
7.537
7.526
7.519
7.447
7.445
7.430
7.428
7.420
7.418
7.412
7.410
7.400
7.392
7.383
7.374
7.372
7.260
7.122
7.100
6.950
6.946
6.933
6.928
6.924
6.910
6.907
rac-1m
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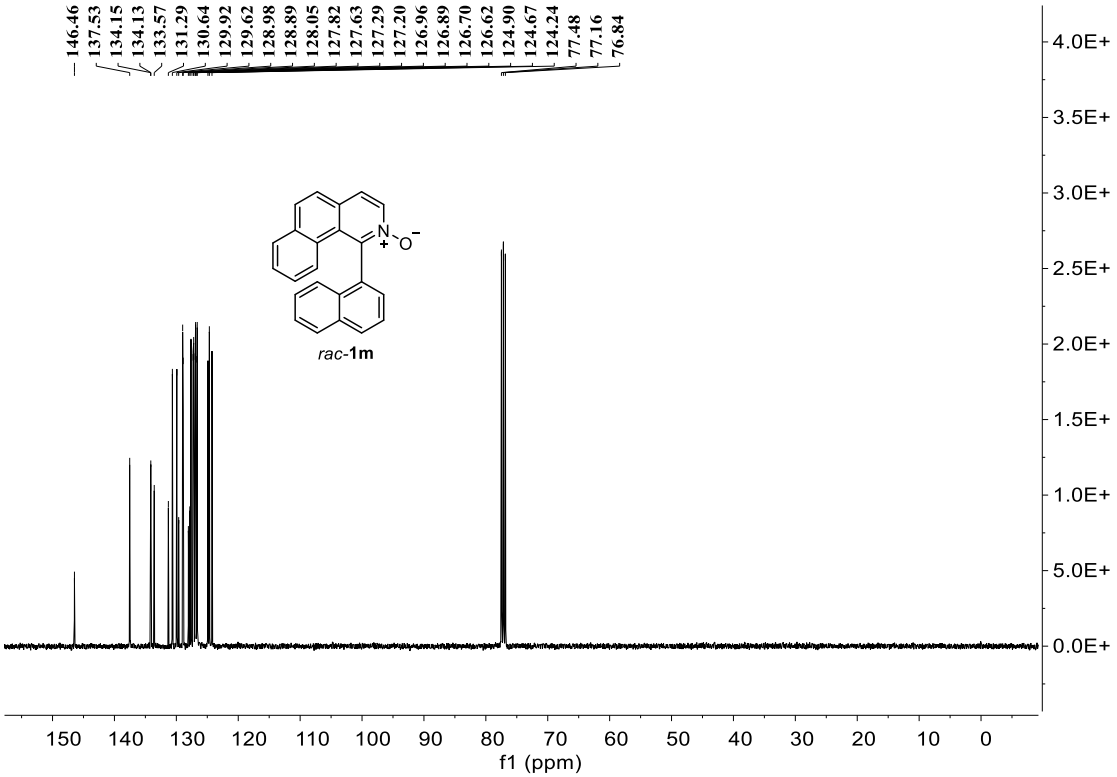
1.00
1.02
3.00
2.03
2.00
3.00
1.00
1.00
f1 (ppm)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129.92
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128.98
128.89
128.05
127.82
127.63
127.29
127.20
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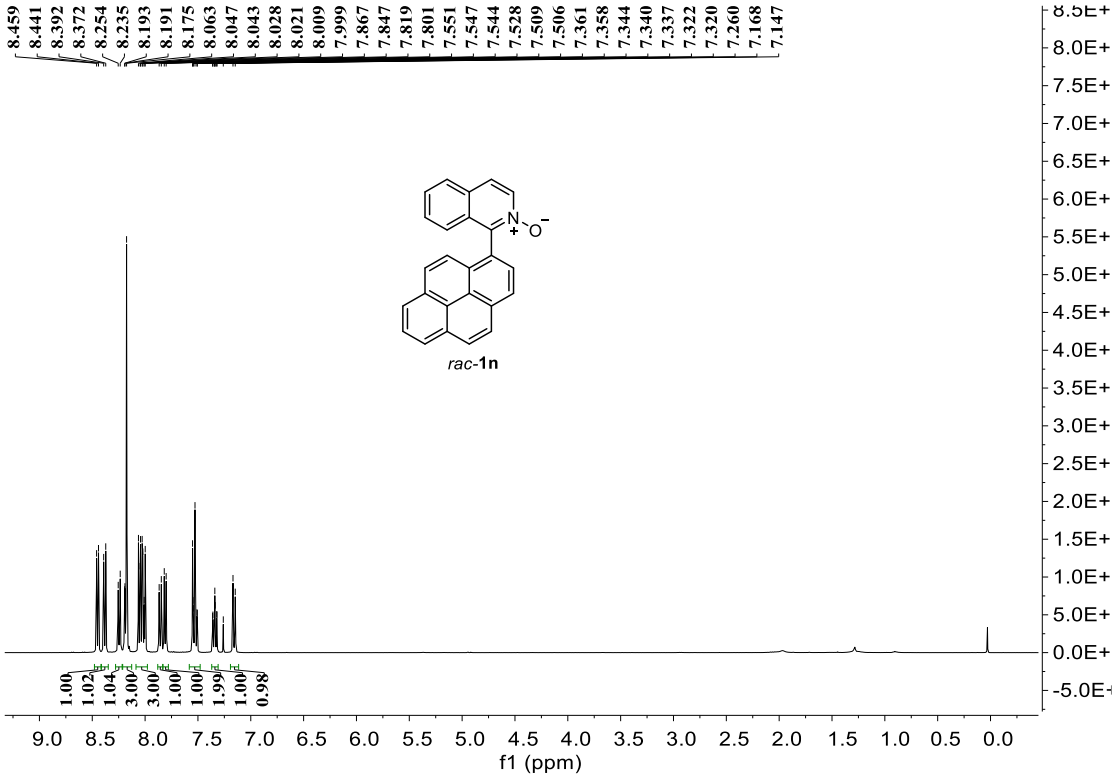
124.90
124.67
124.24
77.48
77.16
76.84
rac-1m
f1 (ppm)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8.047
8.043
8.028
8.021
8.009
7.999
7.867
7.847
7.819
7.801
7.551
7.547
7.544
7.528
7.509
7.506
7.361
7.358
7.344
7.340
7.337
7.322
7.320
7.260
7.168
7.147
rac-1n
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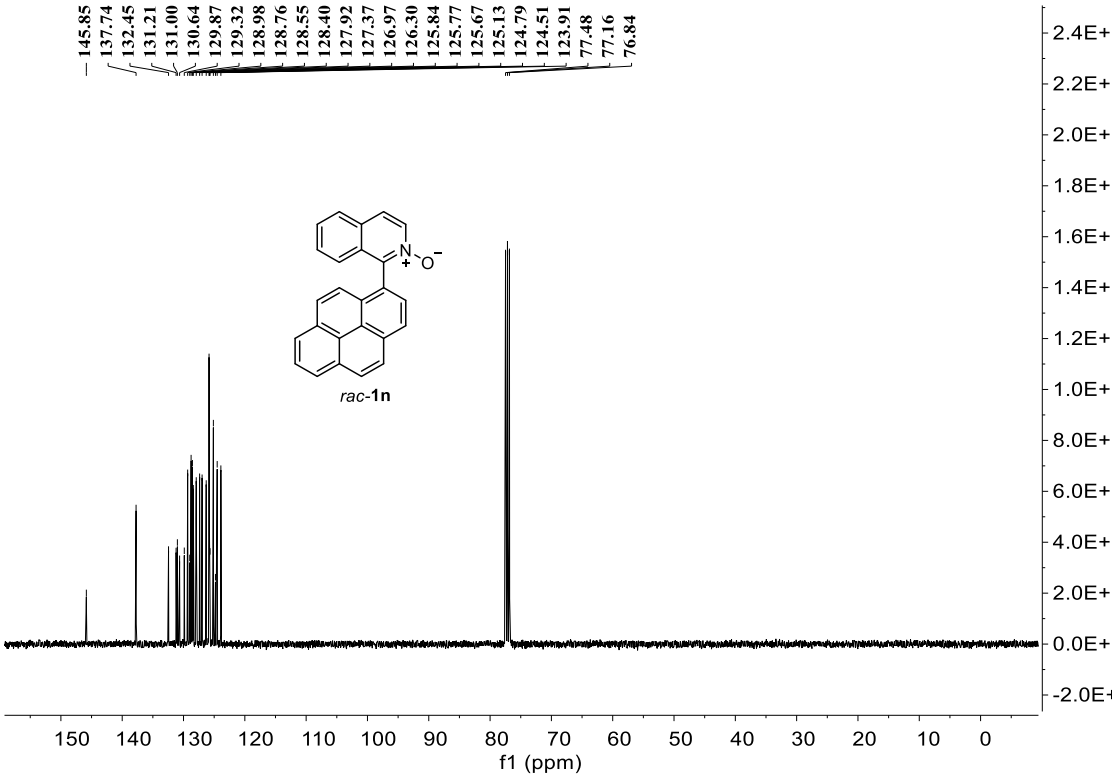
1.02
1.04
3.00
3.00
1.00
1.00
1.99
1.00
0.98
f1 (ppm)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132.45
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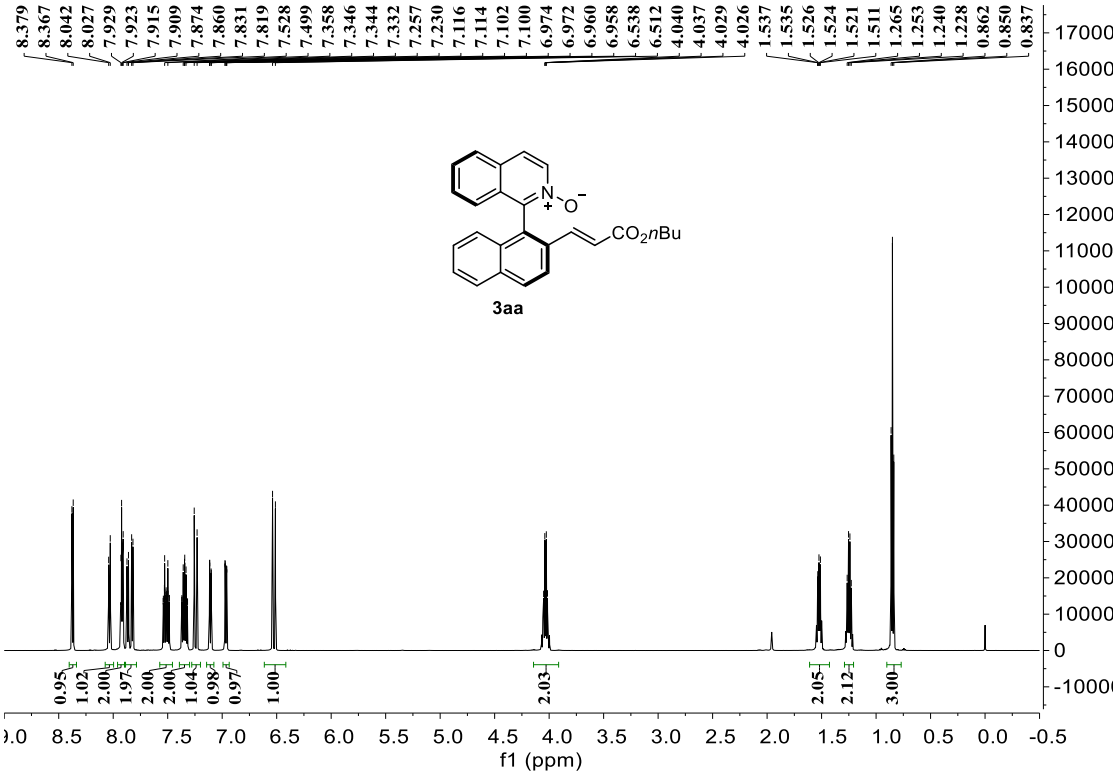
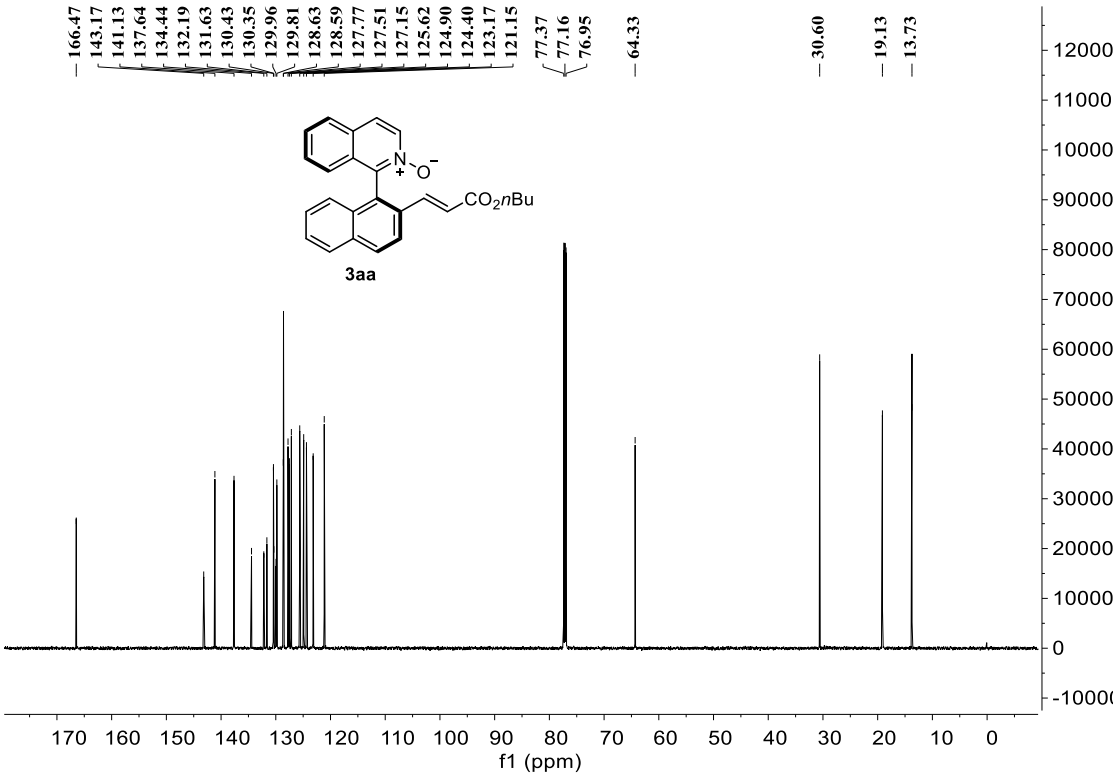
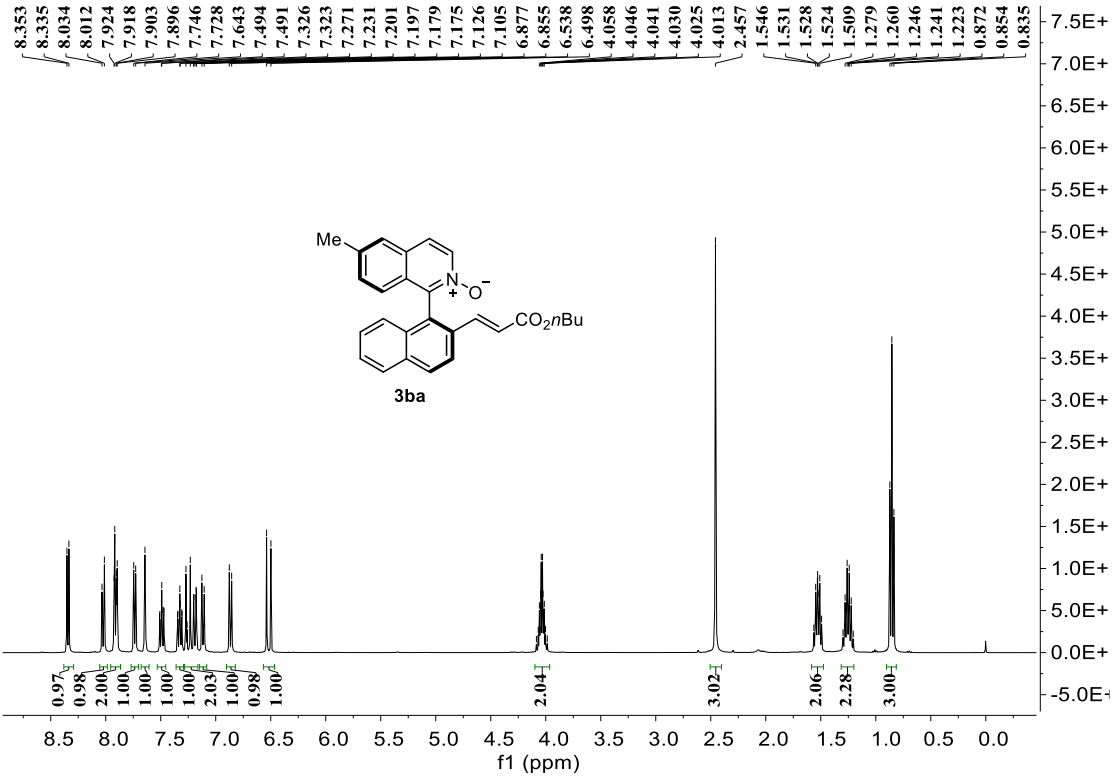
130.64
129.87
129.32
128.98
128.76
128.55
128.40
127.92
127.37
126.97
126.30
125.84
125.77
125.67
125.13
124.79
124.51
123.91
77.48
77.16
76.84
rac-1n
f1 (ppm)

(2) Copies of NMR spectra of products



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7.896
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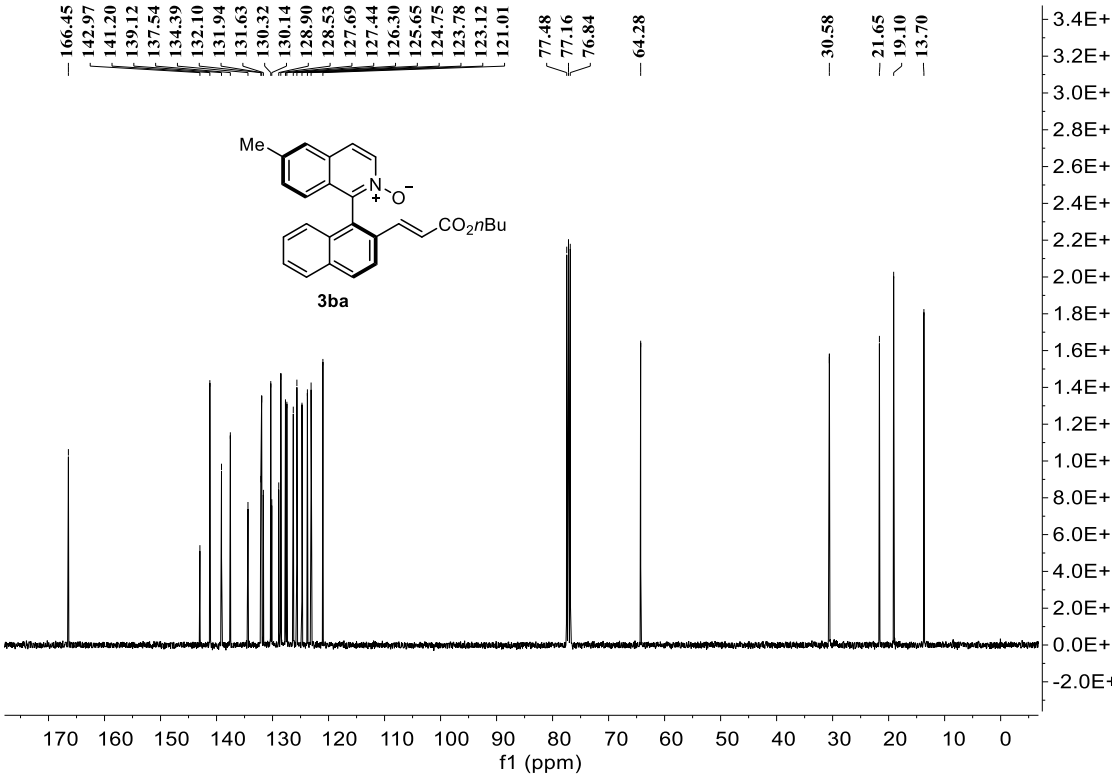
7.491
7.326
7.323
7.271
7.231
7.201
7.197
7.179
7.175
7.126
7.105
6.877
6.855
6.538
6.498
4.058
4.046
4.041
4.030
4.025
4.013
2.457
1.546
1.531
1.528
1.524
1.509
1.279
1.260
1.246
1.241
1.223
0.872
0.854
0.835
Me
N
O
CO2nBu
3ba
0.97
0.98
2.00
1.00
1.00
1.00
1.00
2.03
1.00
0.98
1.00
2.04
3.02
2.06
2.28
3.00
f1 (ppm)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131.94
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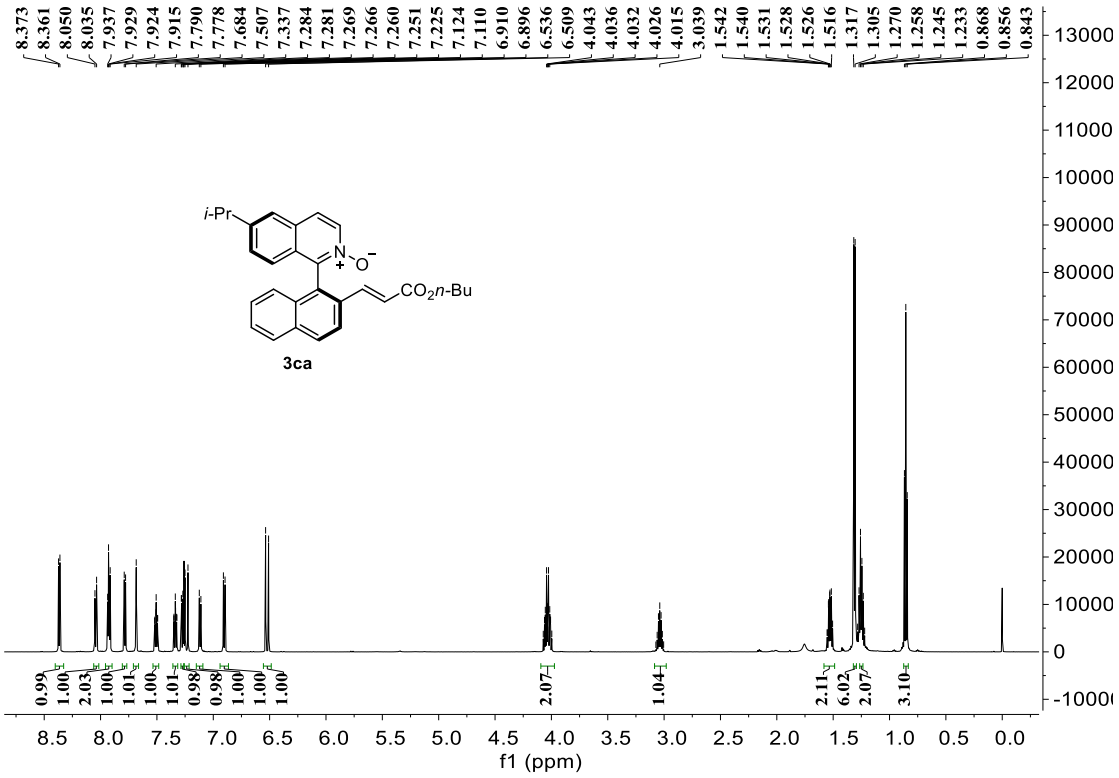
130.32
130.14
128.90
128.53
127.69
127.44
126.30
125.65
124.75
123.78
123.12
121.01
77.48
77.16
76.84
64.28
30.58
21.65
19.10
13.70
Me
N
O
CO2nBu
3ba
f1 (ppm)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7.915
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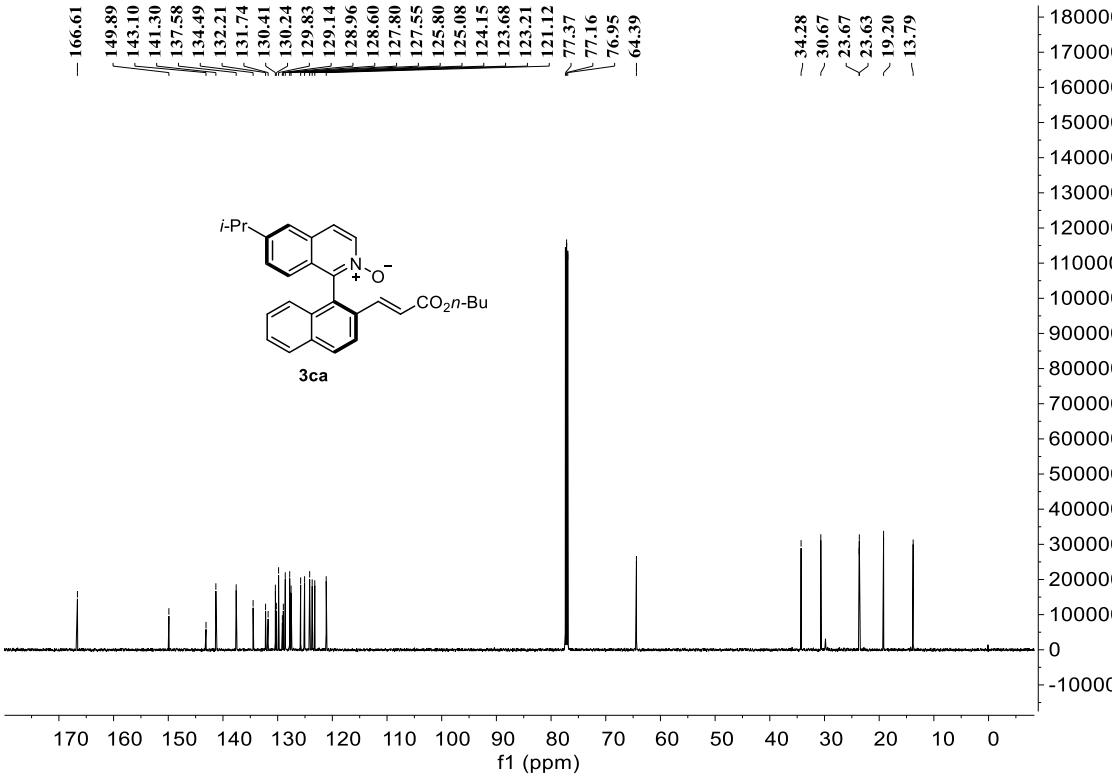
7.778
7.684
7.507
7.337
7.284
7.281
7.269
7.266
7.260
7.251
7.225
7.124
7.110
6.910
6.896
6.536
6.509
4.043
4.036
4.032
4.026
4.015
3.039
1.542
1.540
1.531
1.528
1.526
1.516
1.317
1.305
1.270
1.258
1.245
1.233
0.868
0.856
0.843
i-Pr
N
O
CO2n-Bu
3ca
0.99
1.00
2.03
1.00
1.01
1.00
1.01
0.98
0.98
1.00
1.00
1.00
2.07
1.04
2.11
6.02
2.07
3.10
f1 (ppm)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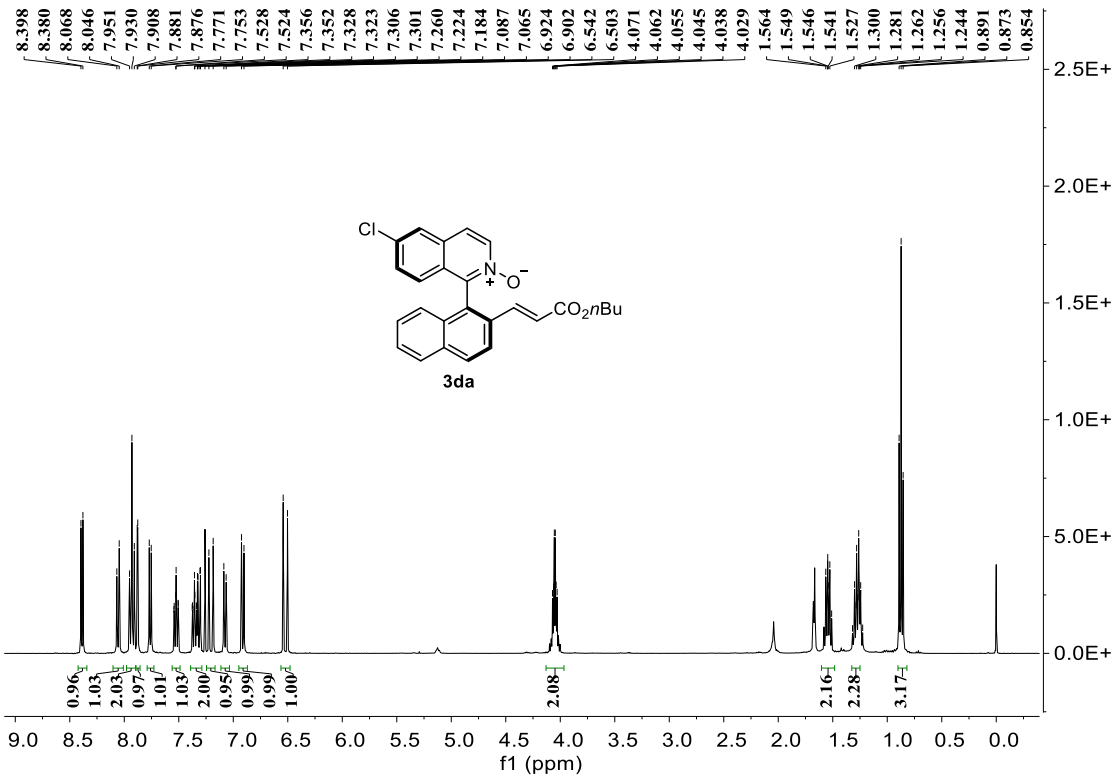
129.14
128.96
128.60
127.80
127.55
125.80
125.08
124.15
123.68
123.21
121.12
77.37
77.16
76.95
64.39
34.28
30.67
23.67
23.63
19.20
13.79
i-Pr
N
O
CO2n-Bu
3ca
f1 (ppm)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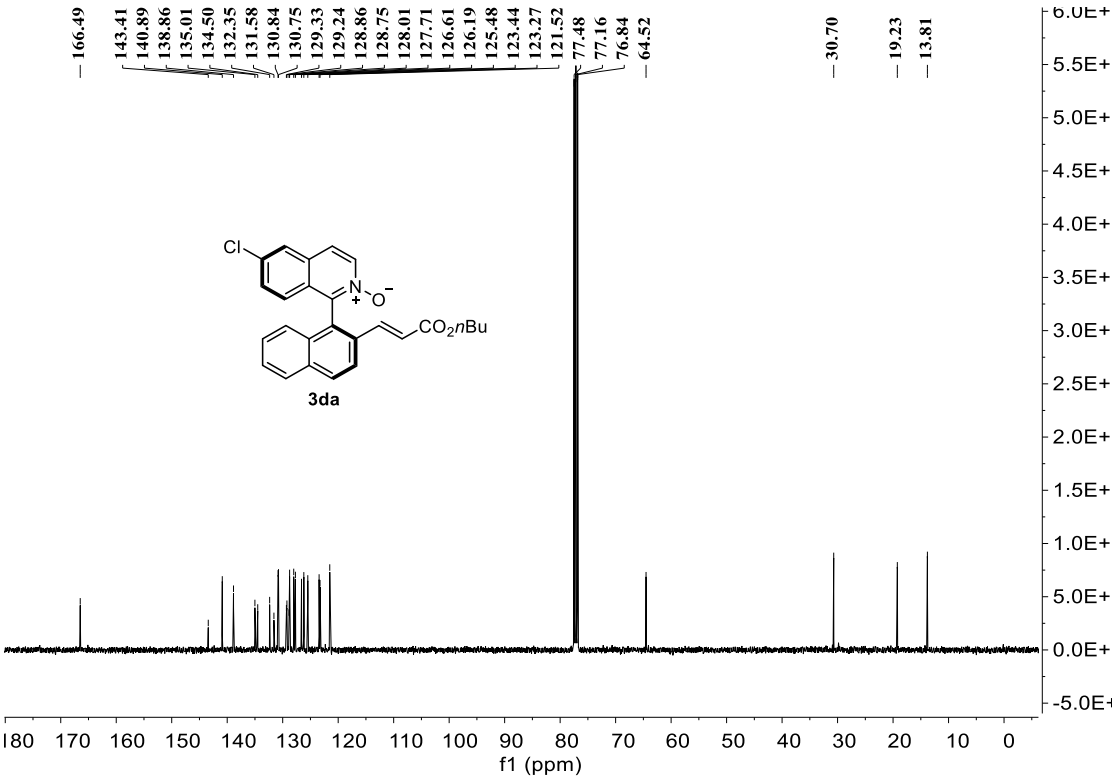
7.524
7.356
7.352
7.328
7.323
7.306
7.301
7.260
7.224
7.184
7.087
7.065
6.924
6.902
6.542
6.503
4.071
4.062
4.055
4.045
4.038
4.029
1.564
1.549
1.546
1.541
1.527
1.300
1.281
1.262
1.256
1.244
0.891
0.873
0.854
Cl
N
O
CO2nBu
3da
0.96
1.03
2.03
0.97
1.01
1.03
2.00
0.95
0.99
0.99
1.00
2.08
2.16
2.28
3.17
f1 (ppm)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129.33
129.24
128.86
128.75
128.01
127.71
126.61
126.19
125.48
123.44
123.27
121.52
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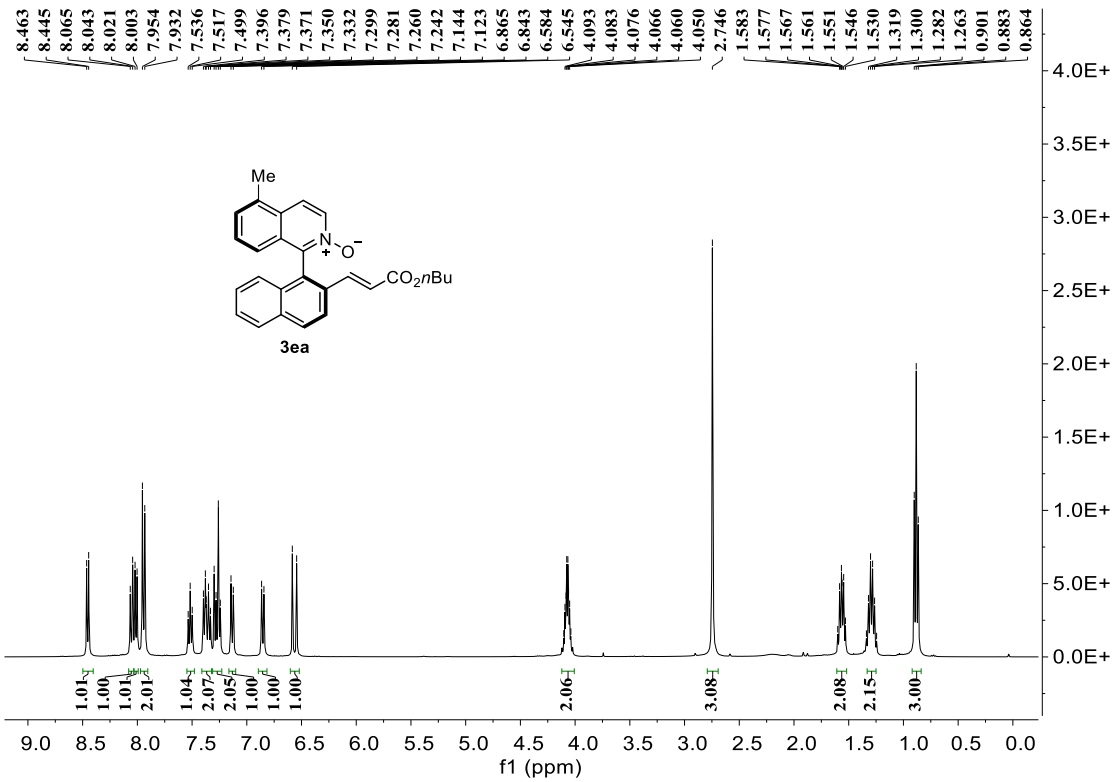
64.52
30.70
19.23
13.81
Cl
N
O
CO2nBu
3da
f1 (ppm)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7.332
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7.242
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7.123
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6.843
6.584
6.545
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4.083
4.076
4.066
4.060
4.050
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1.577
1.567
1.561
1.551
1.546
1.530
1.319
1.300
1.282
1.263
0.901
0.883
0.864
Me
N
O
CO2nBu
3ea
1.01
1.00
1.01
2.01
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2.05
1.00
1.00
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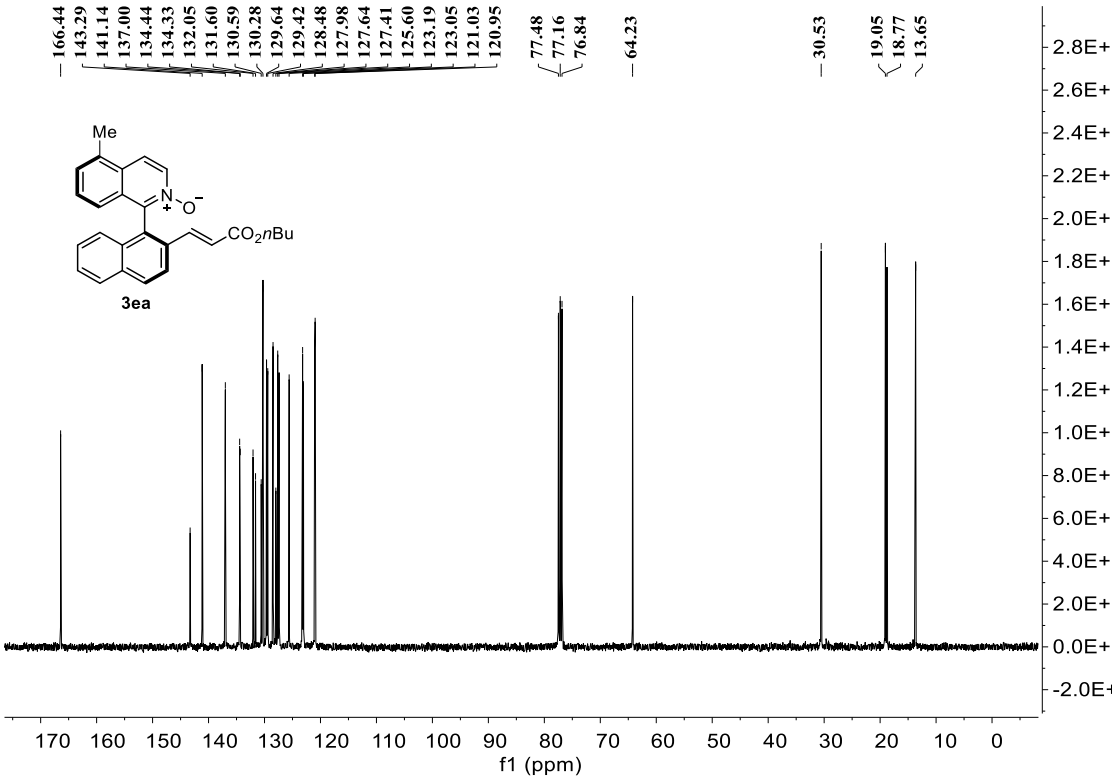
3.08
2.08
2.15
3.00
f1 (ppm)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121.03
120.95
77.48
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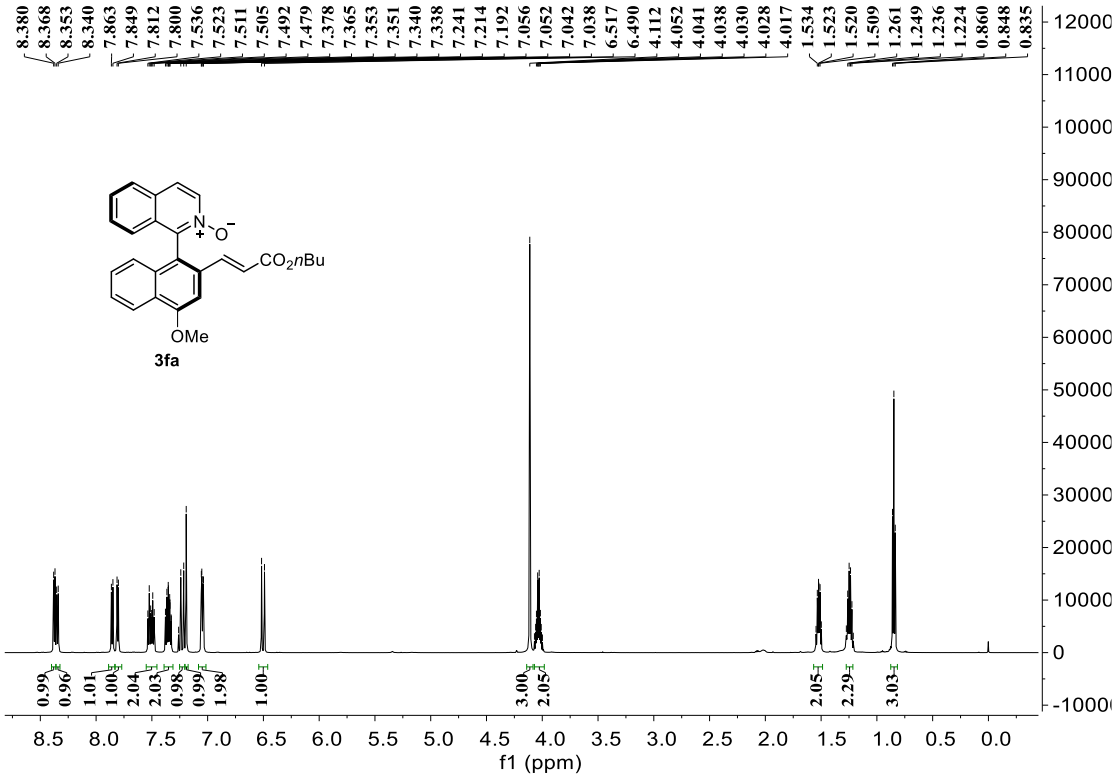
30.53
19.05
18.77
13.65
Me
N
O
CO2nBu
3ea
f1 (ppm)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CO2nBu
OMe
3fa
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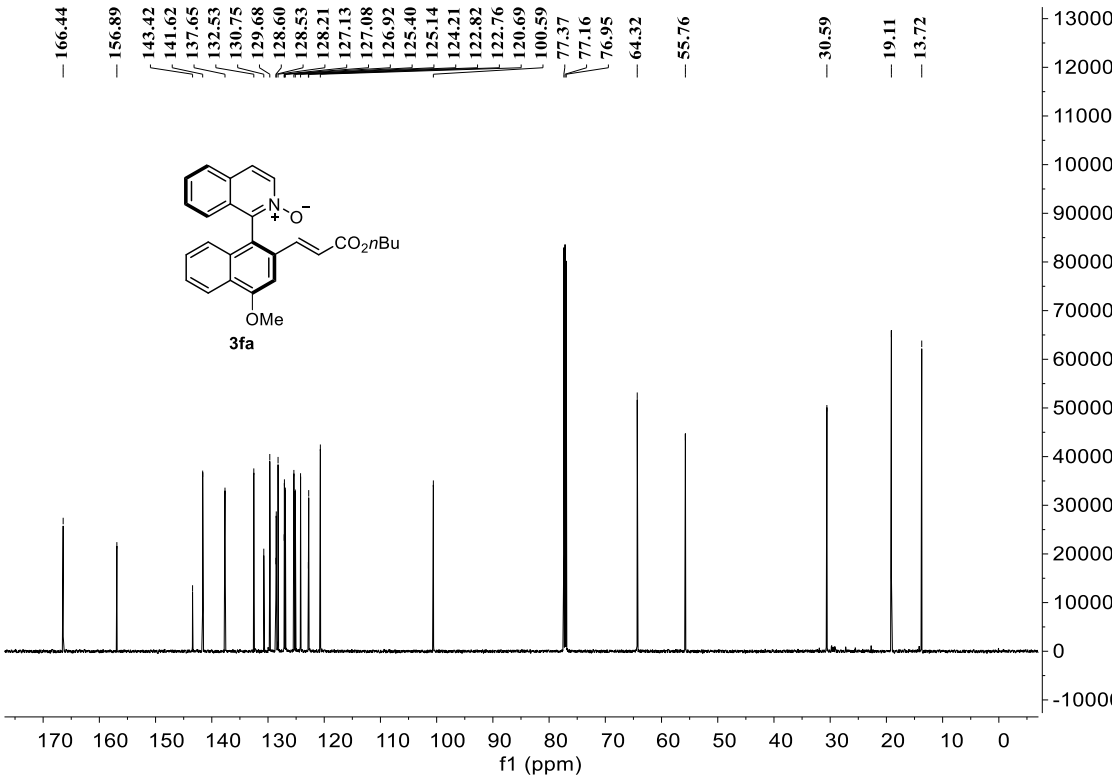
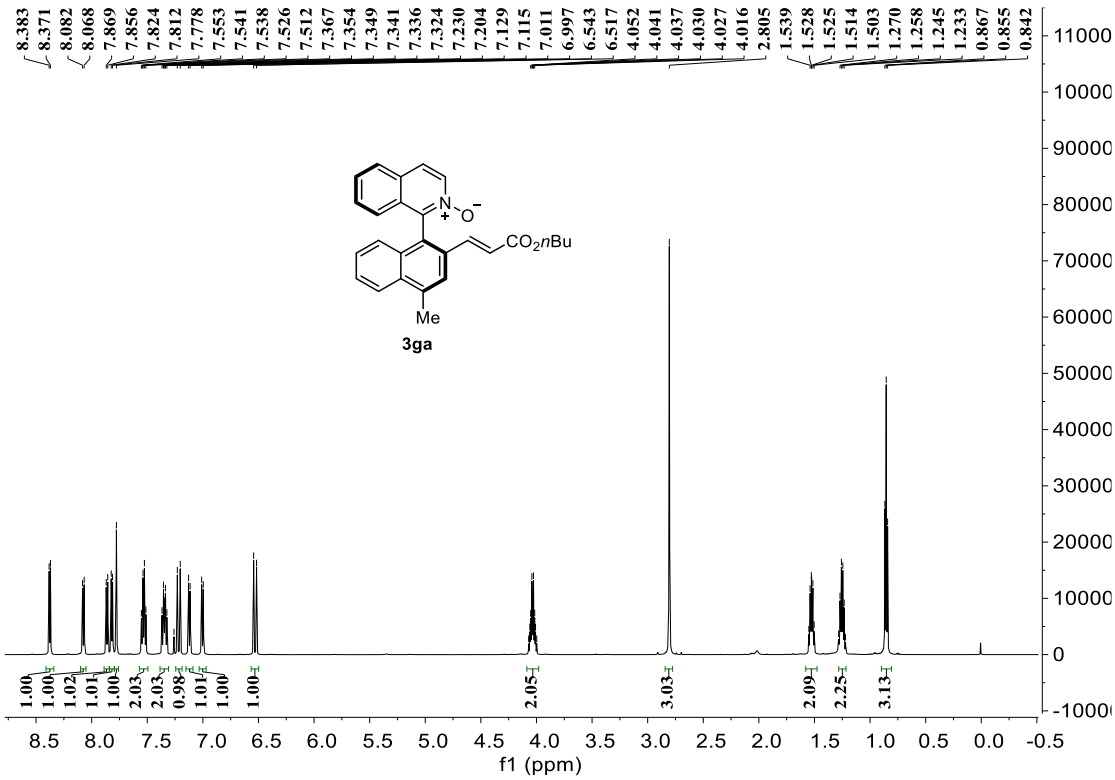
f1 (ppm)


166.44 156.89 143.42 141.62 137.65 132.53 130.75 129.68 128.60 128.53 128.21 127.13 127.08 126.92 125.40 125.14 124.21 122.82 122.76 120.69 100.59 77.37 77.16 76.95 64.32 55.76 30.59 19.11 13.72
CO2nBu
OMe
3fa
f1 (ppm)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7.538
7.526
7.512
7.367
7.354
7.349
7.341
7.336
7.324
7.230
7.204
7.129
7.115
7.011
6.997
6.543
6.517
4.052
4.041
4.037
4.030
4.027
4.016
2.805
1.539
1.528
1.525
1.514
1.503
1.270
1.258
1.245
1.233
0.867
0.855
0.842
CO2nBu
Me
3ga
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1.00
1.02
1.01
1.00
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1.00
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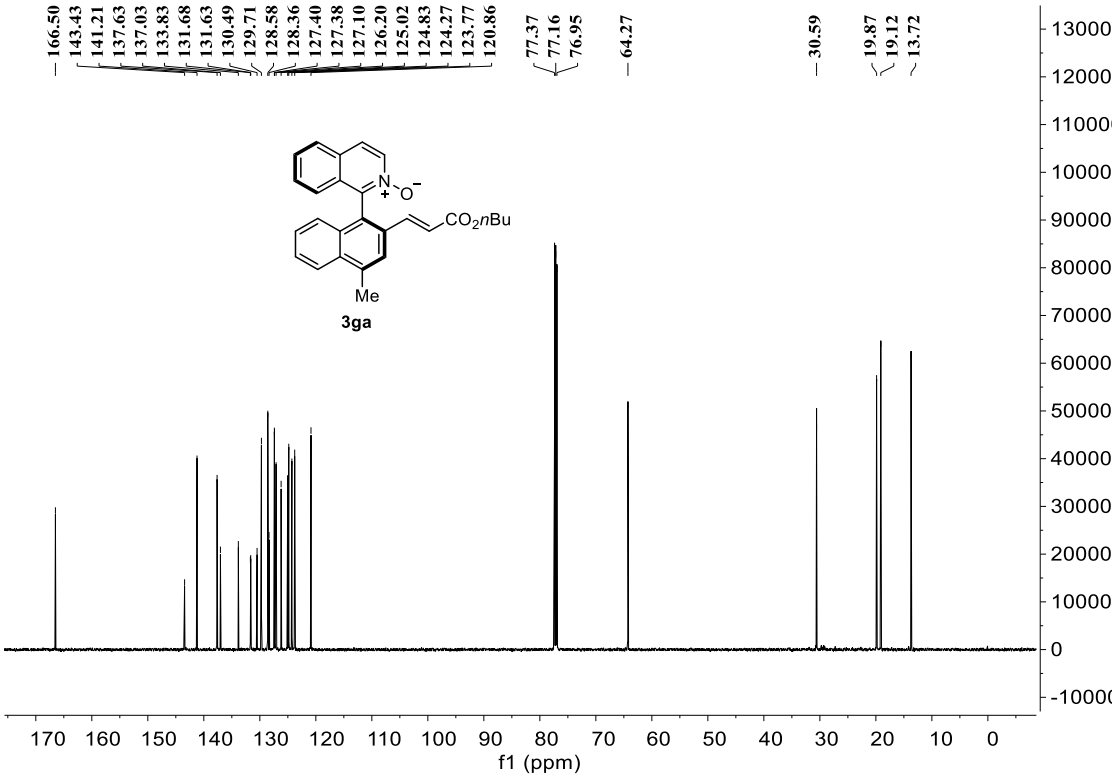
3.13
f1 (ppm)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127.40
127.38
127.10
126.20
125.02
124.83
124.27
123.77
120.86
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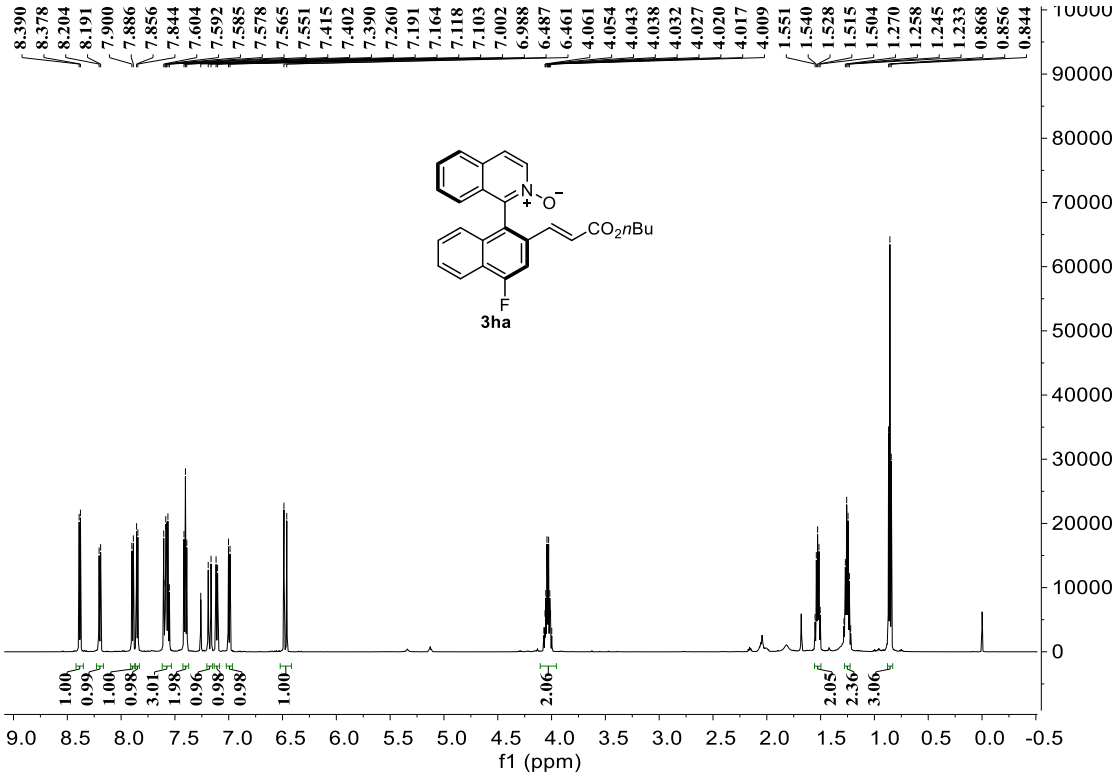
76.95
64.27
30.59
19.87
19.12
13.72
CO2nBu
Me
3ga
f1 (ppm)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7.551
7.415
7.402
7.390
7.260
7.191
7.164
7.118
7.103
7.002
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6.487
6.461
4.061
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4.043
4.038
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4.009
1.551
1.540
1.528
1.515
1.504
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1.245
1.233
0.868
0.856
0.844
CO2nBu
F
3ha
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0.99
1.00
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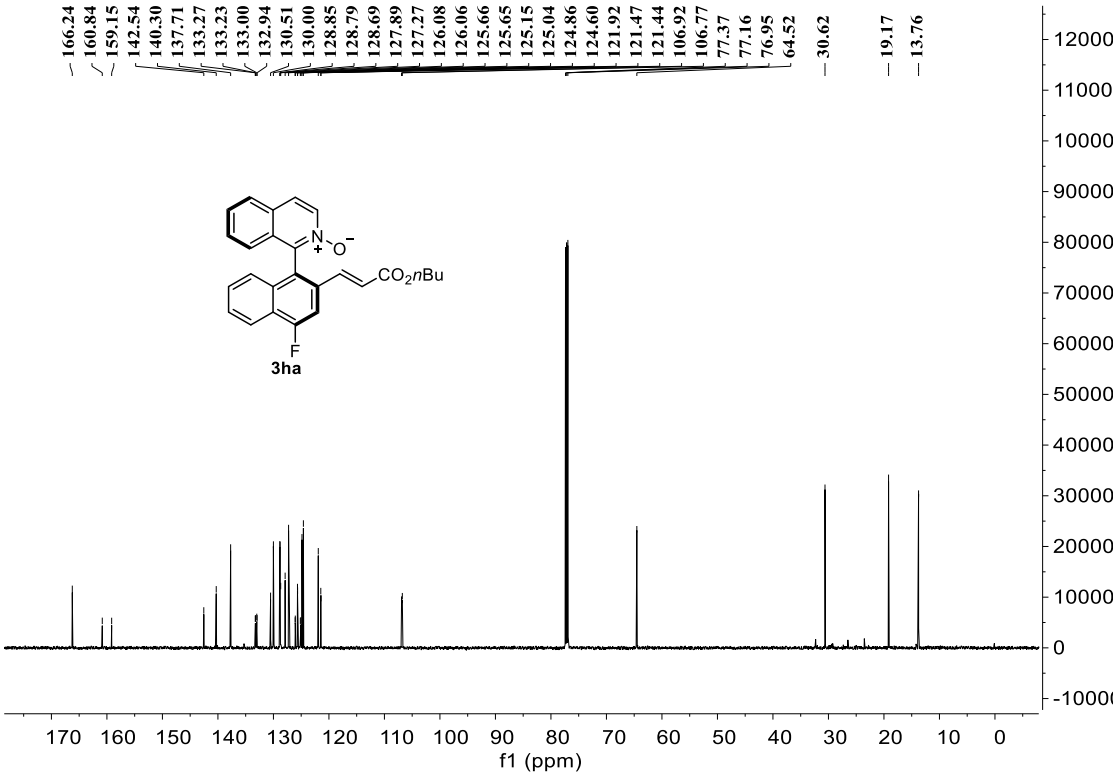
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124.60
121.92
121.47
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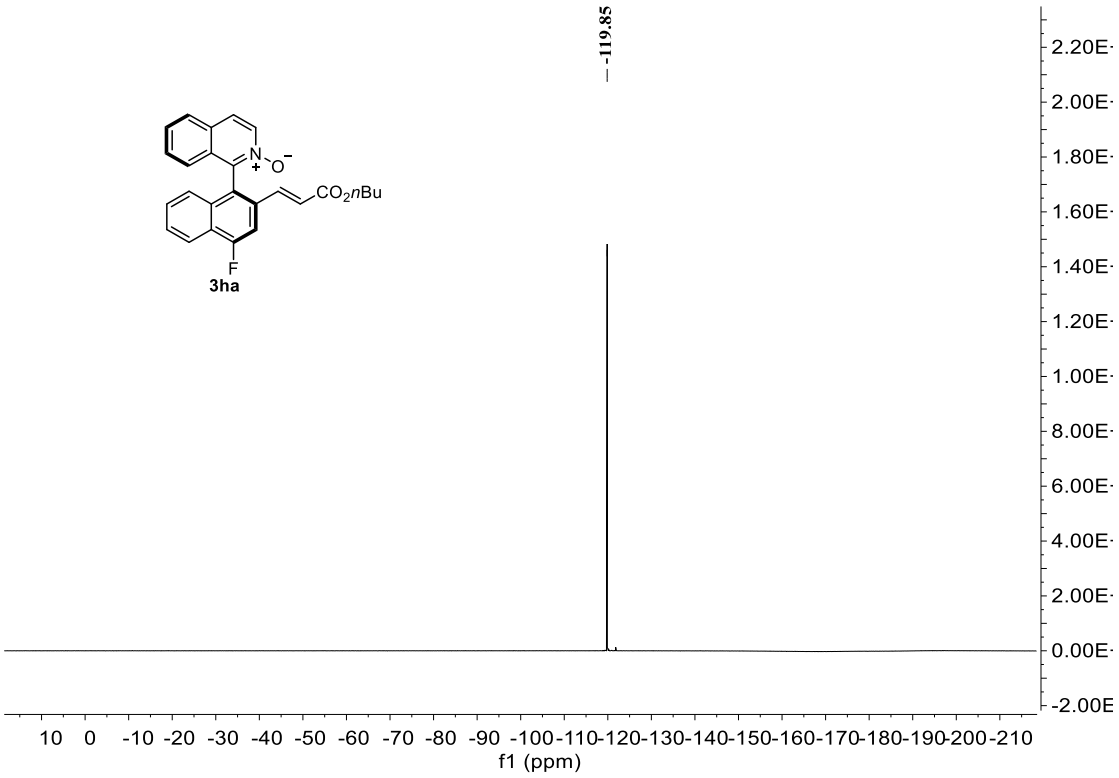
106.92
106.77
77.37
77.16
76.95
64.52
30.62
19.17
13.76
CO2nBu
F
3ha
f1 (ppm)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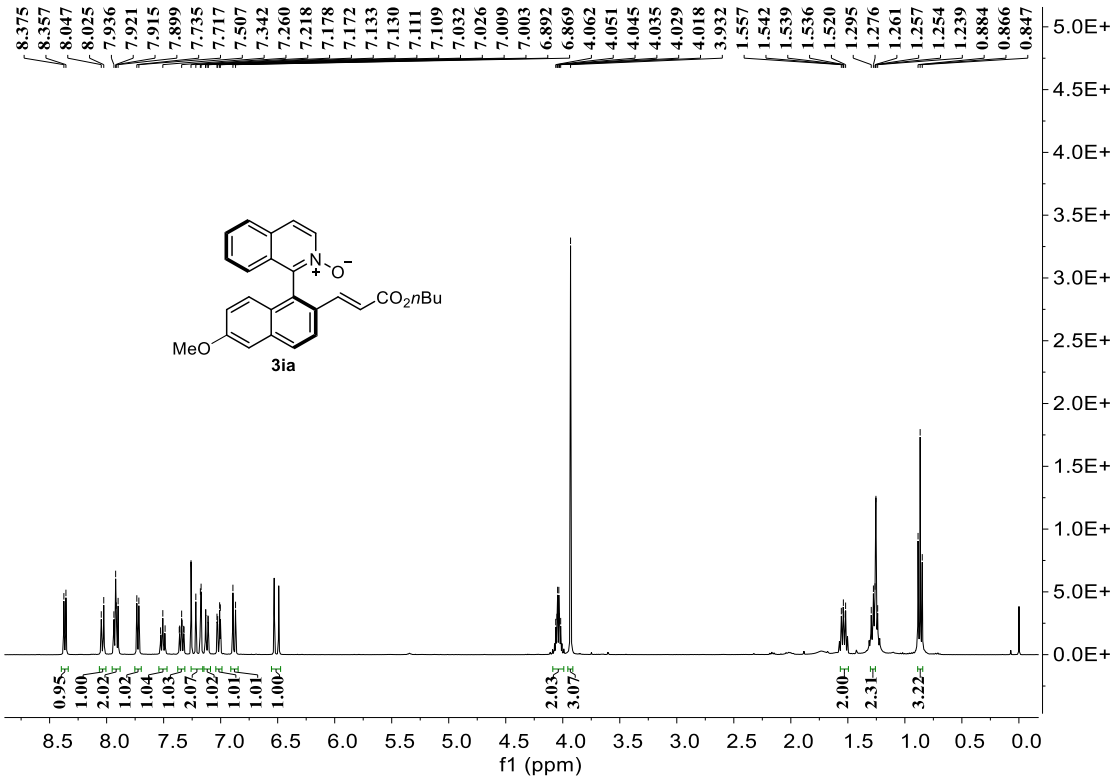
2.20E·
2.00E·
1.80E·
1.60E·
1.40E·
1.20E·
1.00E·
8.00E·
6.00E·
4.00E·
2.00E·
0.00E·
-2.00E
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)
CO2nBu
F
3ha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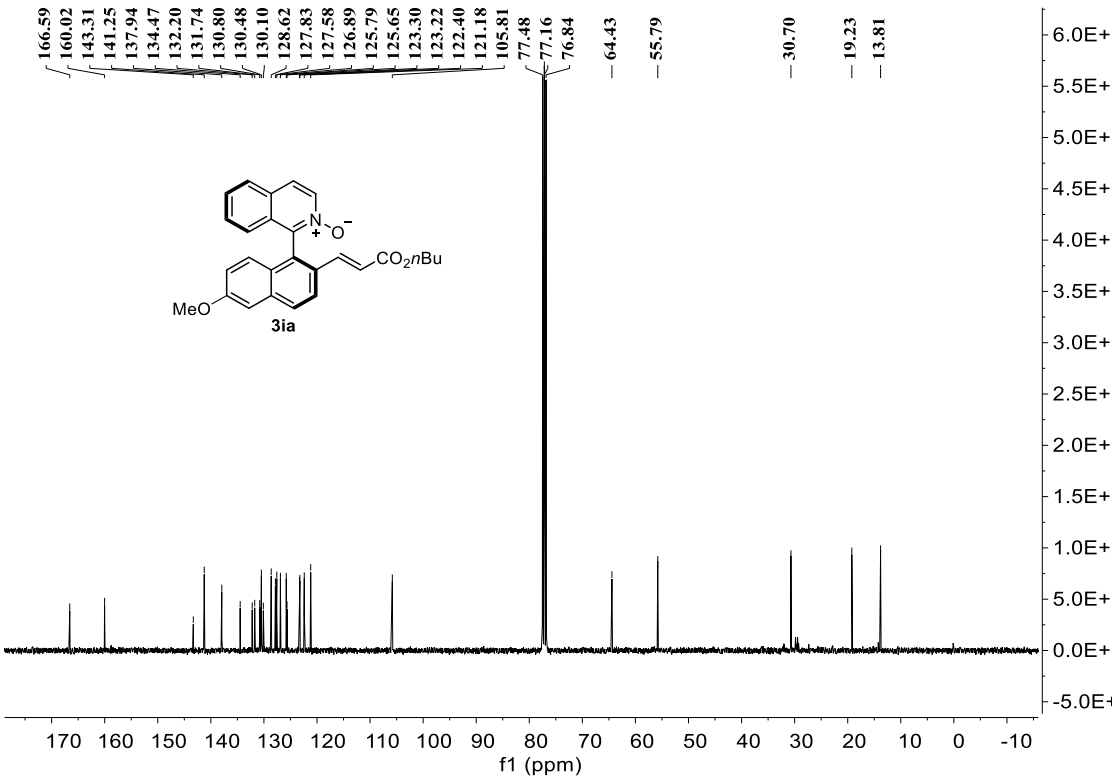
7.899
7.735
7.717
7.507
7.342
7.260
7.218
7.178
7.172
7.133
7.130
7.111
7.109
7.032
7.026
7.009
7.003
6.892
6.869
4.062
4.051
4.045
4.035
4.029
4.018
3.932
1.557
1.542
1.539
1.536
1.520
1.295
1.276
1.261
1.257
1.254
1.239
0.884
0.866
0.847
MeO
CO2nBu
3ia
0.95
1.00
2.02
1.02
1.04
1.03
2.07
1.02
1.01
1.01
1.00
2.03
3.07
2.00
2.31
3.22
f1 (ppm)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143.31
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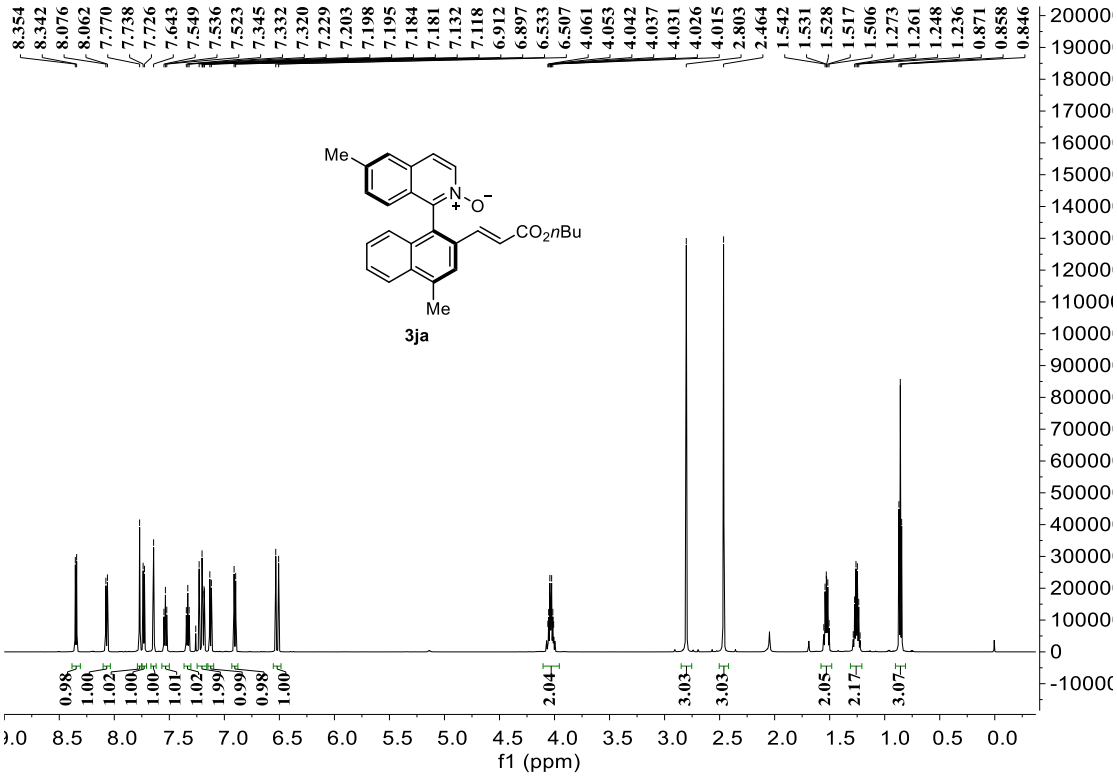
134.47
132.20
131.74
130.80
130.48
130.10
128.62
127.83
127.58
126.89
125.79
125.65
123.30
123.22
122.40
121.18
105.81
77.48
77.16
76.84
64.43
55.79
30.70
19.23
13.81
MeO
CO2nBu
3ia
f1 (ppm)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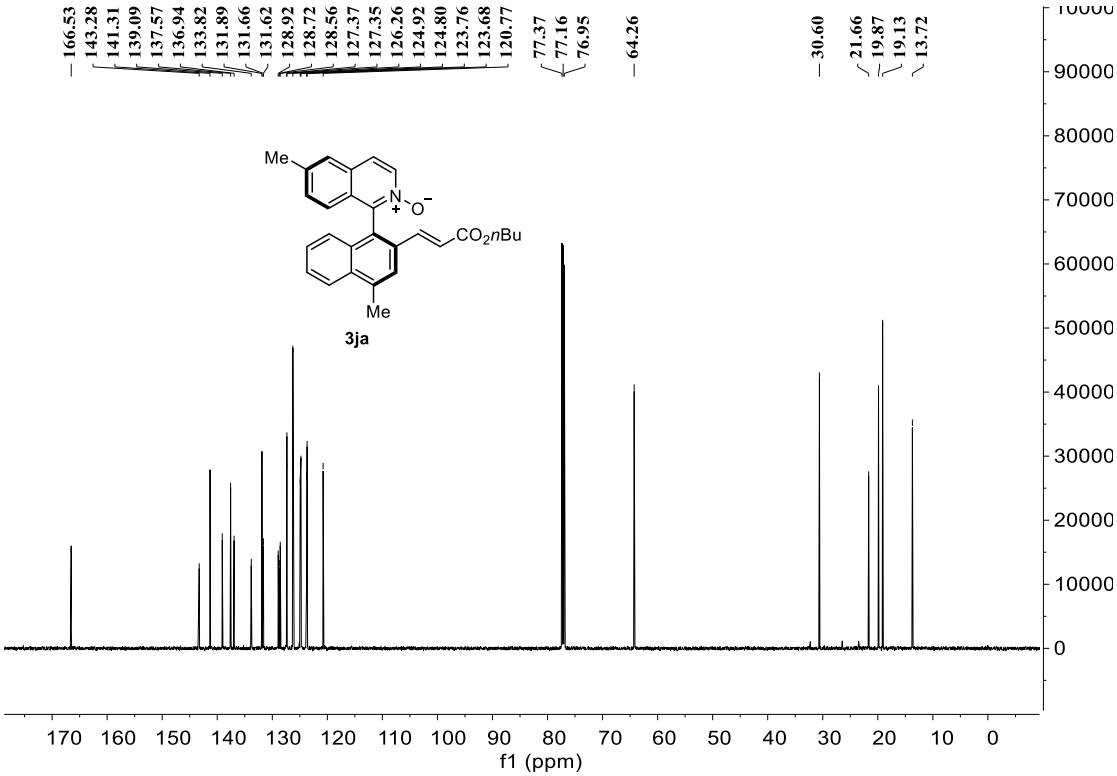
7.536
7.523
7.345
7.332
7.320
7.229
7.203
7.198
7.195
7.184
7.181
7.132
7.118
6.912
6.897
6.533
6.507
4.061
4.053
4.042
4.037
4.031
4.026
4.015
2.803
2.464
1.542
1.531
1.528
1.517
1.506
1.273
1.261
1.248
1.236
0.871
0.858
0.846
Me
N
O
CO2nBu
Me
3ja
0.98
1.00
1.02
1.00
1.00
1.01
1.02
1.99
0.99
0.98
1.00
2.04
3.03
3.03
2.05
2.17
3.07
f1 (ppm)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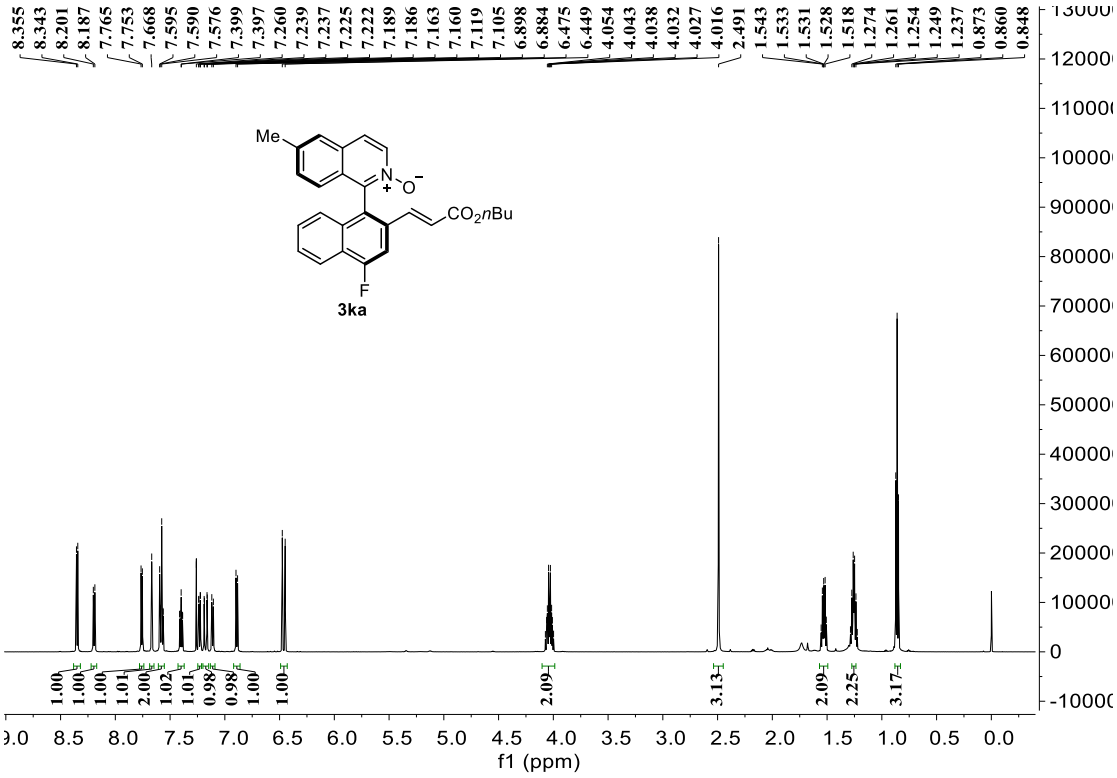
131.89
131.66
131.62
128.92
128.72
128.56
127.37
127.35
126.26
124.92
124.80
123.76
123.68
120.77
77.37
77.16
76.95
64.26
30.60
21.66
19.87
19.13
13.72
Me
N
O
CO2nBu
Me
3ja
f1 (ppm)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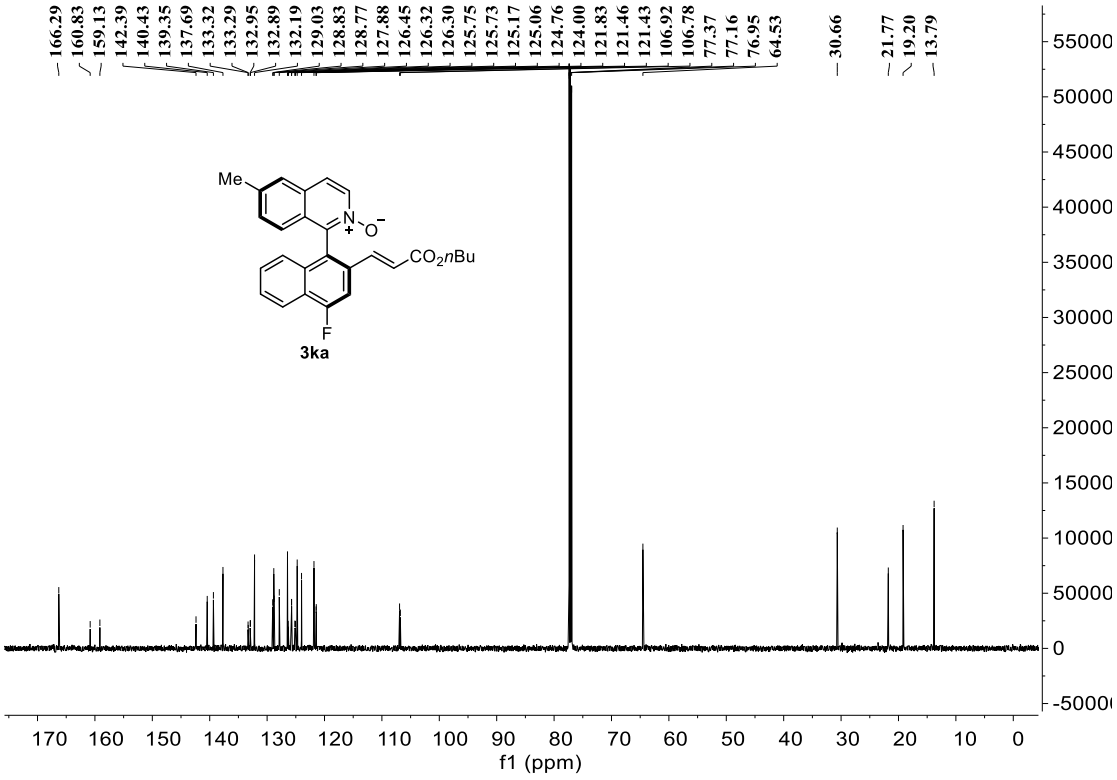
7.753
7.668
7.595
7.590
7.576
7.399
7.397
7.260
7.239
7.237
7.225
7.222
7.189
7.186
7.163
7.160
7.119
7.105
6.898
6.884
6.475
6.449
4.054
4.043
4.038
4.032
4.027
4.016
2.491
1.543
1.533
1.531
1.528
1.518
1.274
1.261
1.254
1.249
1.237
0.873
0.860
0.848
Me
N
O
CO2nBu
F
3ka
1.00
1.00
1.00
1.01
2.00
1.02
1.01
0.98
0.98
1.00
1.00
2.09
3.13
2.09
2.25
3.17
f1 (ppm)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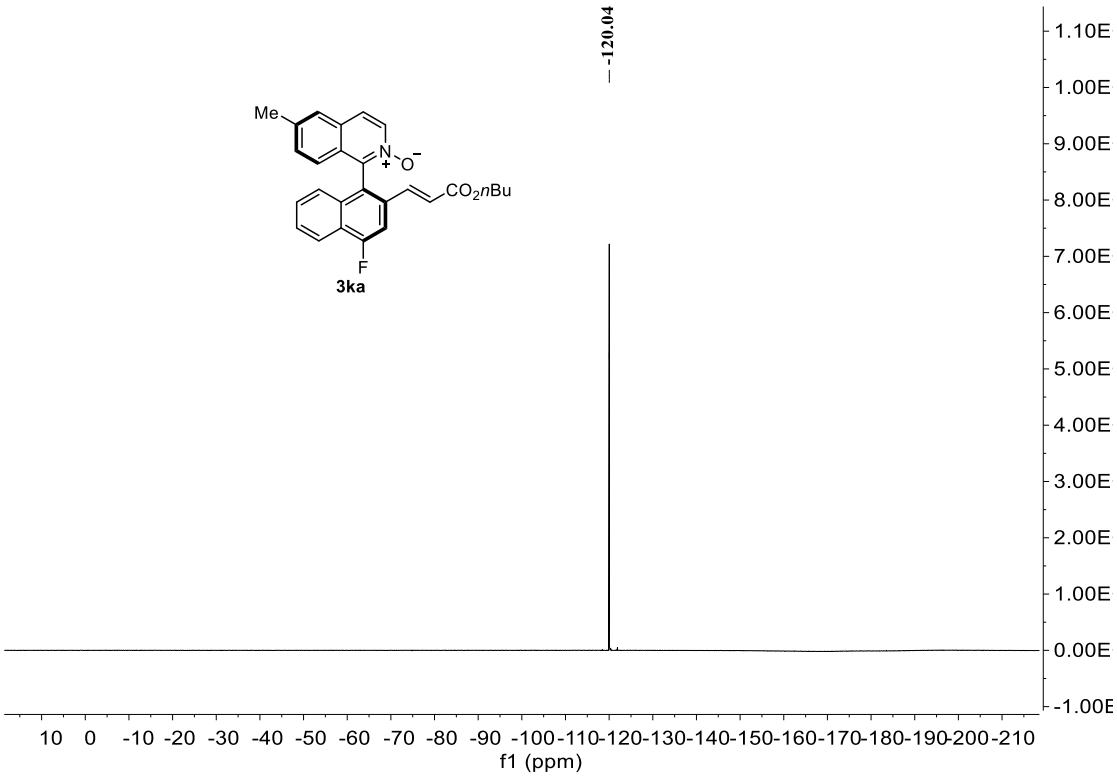
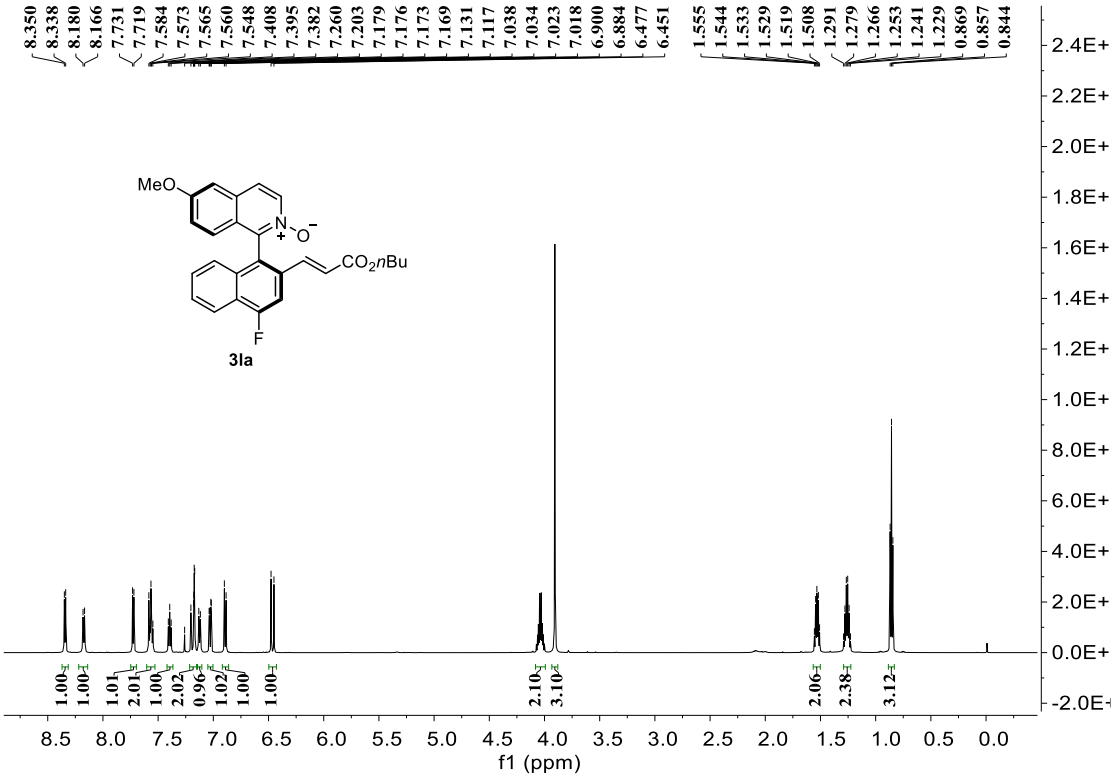
160.83
159.13
142.39
140.43
139.35
137.69
133.32
133.29
132.95
132.89
132.19
129.03
128.83
128.77
127.88
126.45
126.32
126.30
125.75
125.73
125.17
125.06
124.76
124.00
121.83
121.46
121.43
106.92
106.78
77.37
77.16
76.95
64.53
30.66
21.77
19.20
13.79
Me
N
O
CO2nBu
F
3ka
f1 (ppm)


-120.04
Me
N
O
CO2nBu
F
3ka
1.10E
1.00E
9.00E
8.00E
7.00E
6.00E
5.00E
4.00E
3.00E
2.00E
1.00E
0.00E
-1.00E
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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8.180
8.166
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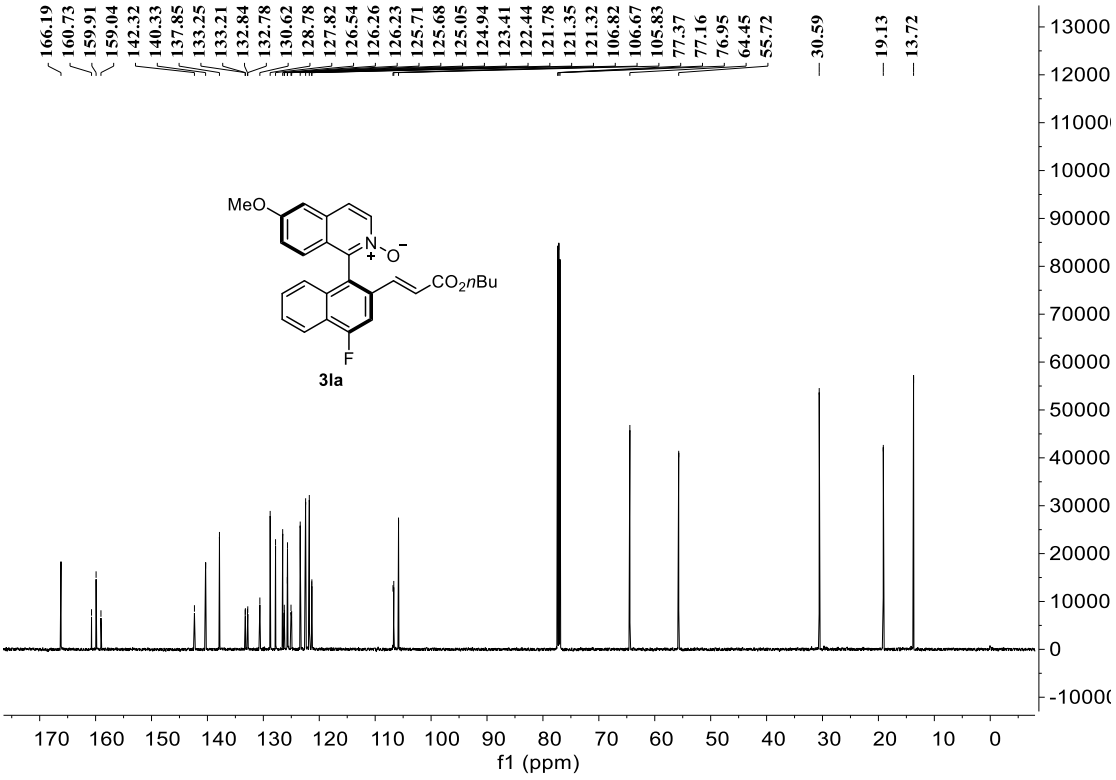
7.719
7.584
7.573
7.565
7.560
7.548
7.408
7.395
7.382
7.260
7.203
7.179
7.176
7.173
7.169
7.131
7.117
7.038
7.034
7.023
7.018
6.900
6.884
6.477
6.451
1.555
1.544
1.533
1.529
1.519
1.508
1.291
1.279
1.266
1.253
1.241
1.229
0.869
0.857
0.844
MeO
N
O
CO2nBu
F
3la
1.00
1.00
1.01
2.01
1.00
2.02
0.96
1.02
1.00
1.00
2.10
3.10
2.06
2.38
3.12
f1 (ppm)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159.04
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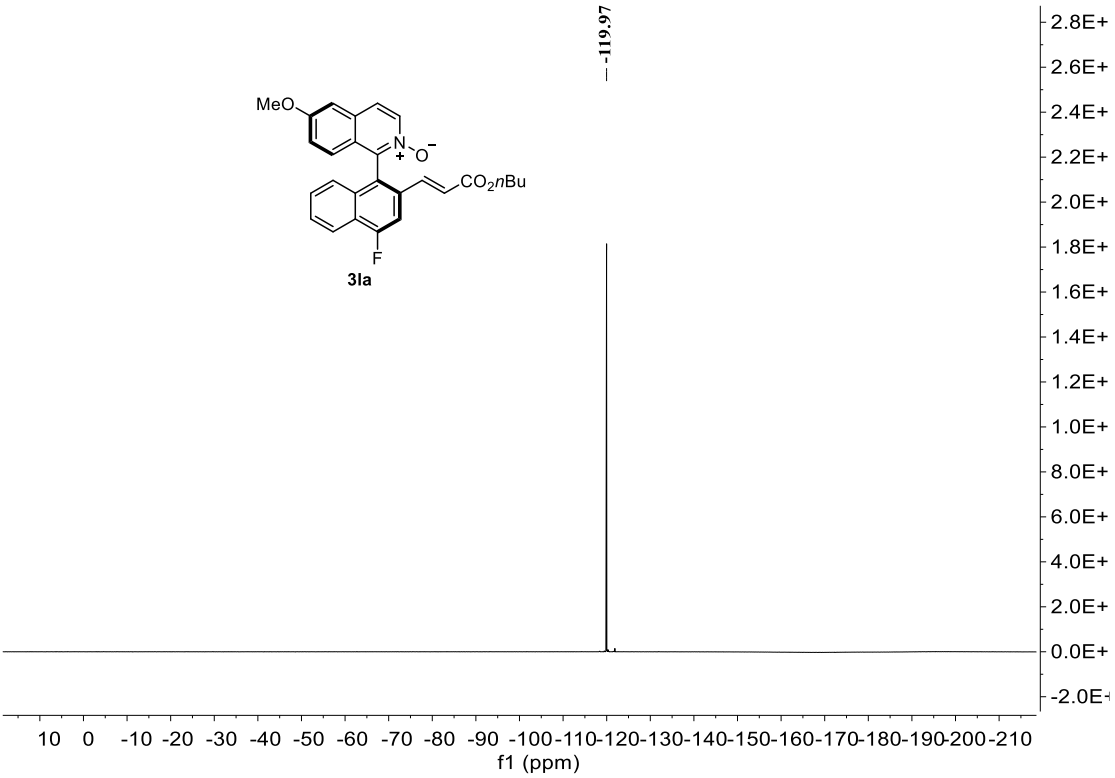
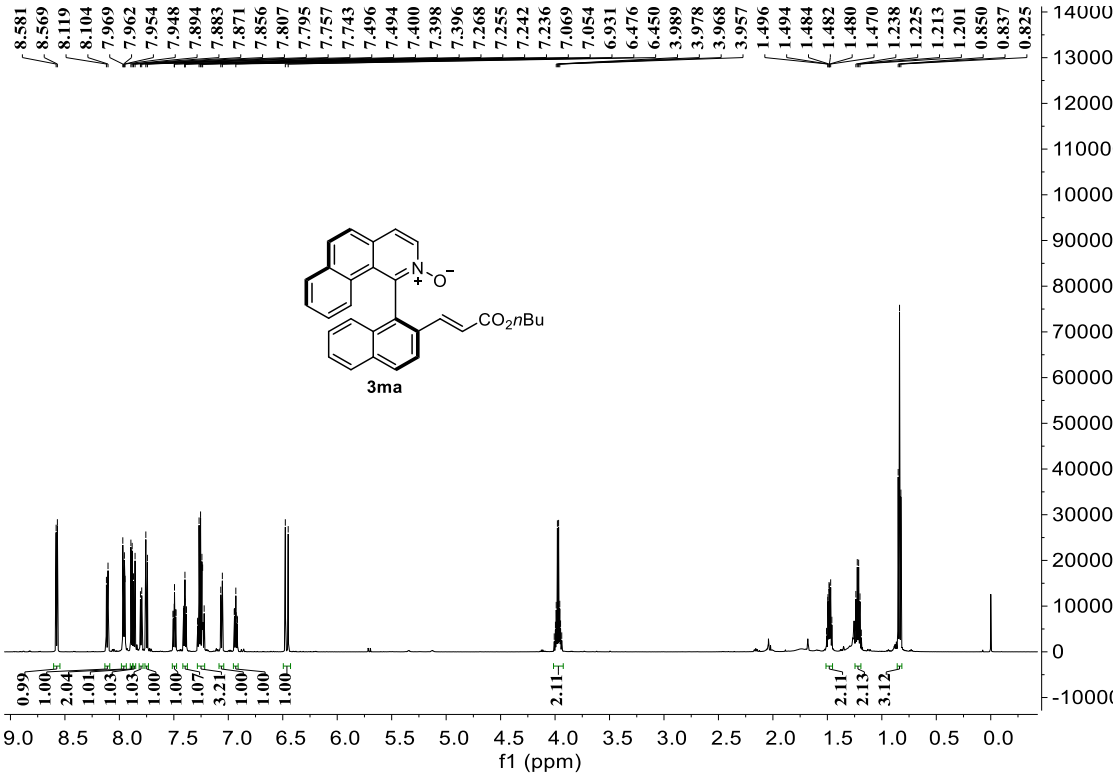
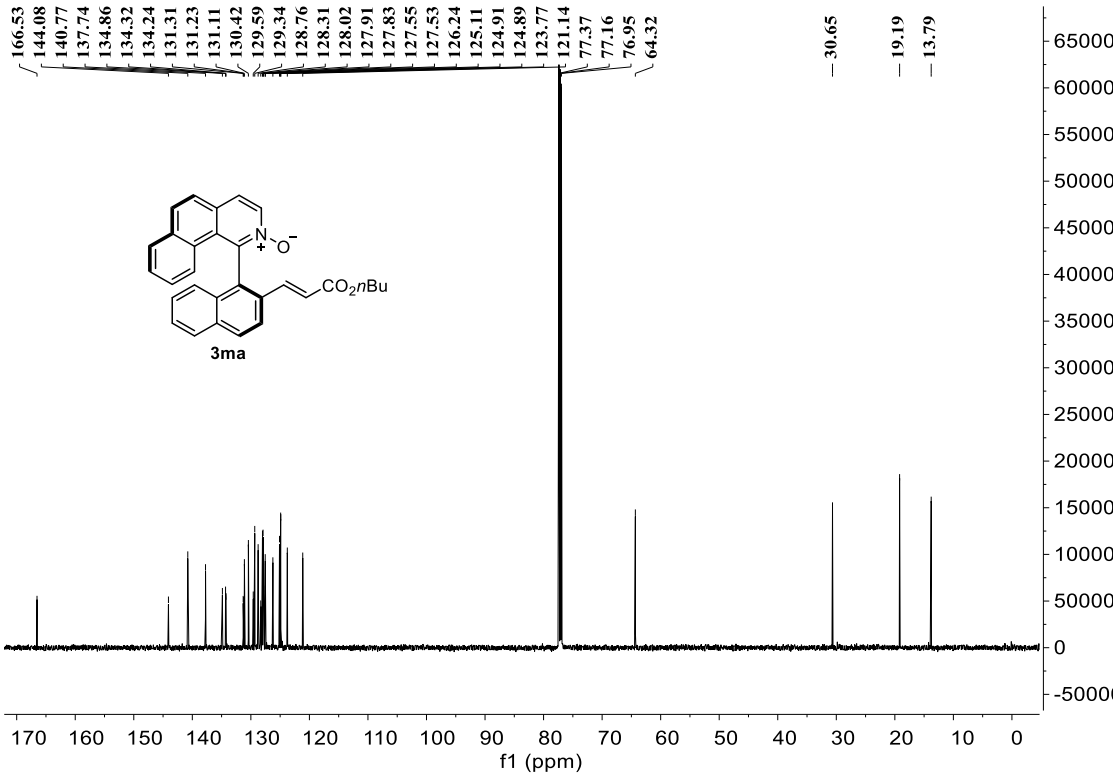
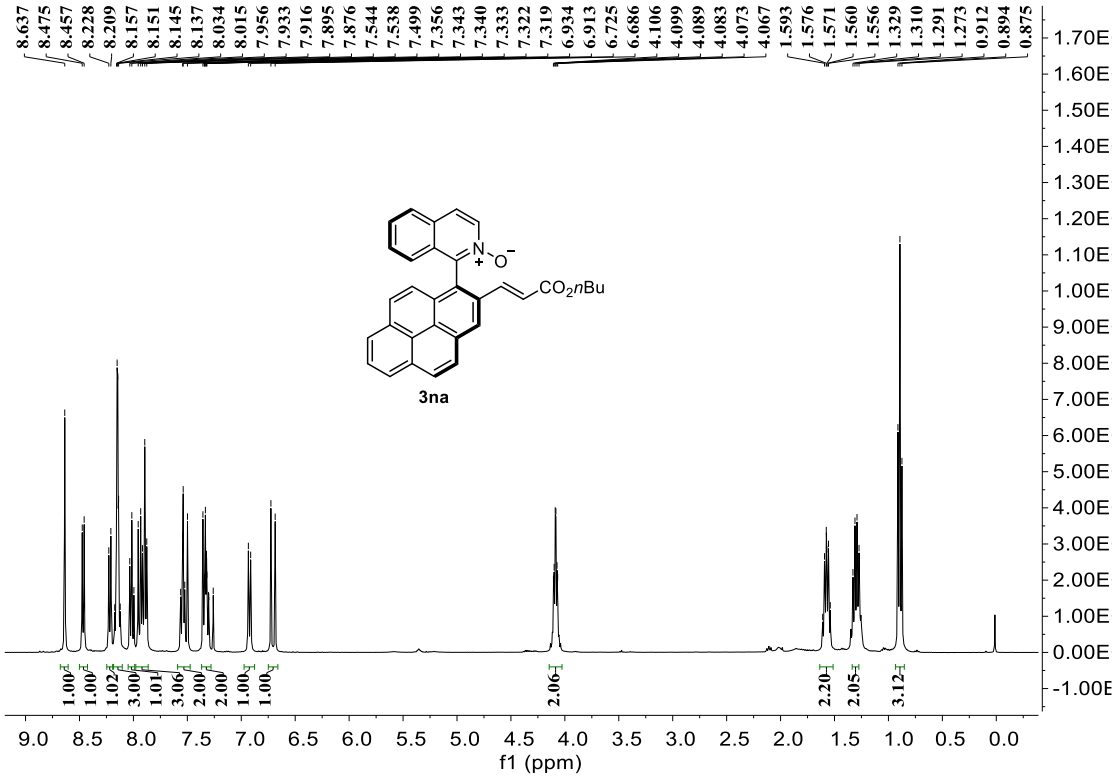
140.33
137.85
133.25
133.21
132.84
132.78
130.62
128.78
127.82
126.54
126.26
126.23
125.71
125.68
125.05
124.94
123.41
122.44
121.78
121.35
121.32
106.82
106.67
105.83
77.37
77.16
76.95
64.45
55.72
30.59
19.13
13.72
MeO
N
O
CO2nBu
F
3la
f1 (ppm)


-119.97
MeO
N
O
CO2nBu
F
3la
2.8E+
2.6E+
2.4E+
2.2E+
2.0E+
1.8E+
1.6E+
1.4E+
1.2E+
1.0E+
8.0E+
6.0E+
4.0E+
2.0E+
0.0E+
-2.0E+
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


8.581 8.569 8.119 8.104 7.969 7.962 7.954 7.948 7.894 7.883 7.871 7.856 7.807 7.795 7.757 7.743 7.496 7.494 7.400 7.398 7.396 7.268 7.255 7.242 7.236 7.069 7.054 6.931 6.476 6.450 3.989 3.978 3.968 3.957 1.496 1.494 1.484 1.482 1.480 1.470 1.238 1.225 1.213 1.201 0.850 0.837 0.825
CO2nBu
3ma
0.99 1.00 2.04 1.01 1.03 1.03 1.00 1.00 1.07 3.21 1.00 1.00 1.00 2.11 2.11 2.13 3.12
f1 (ppm)


166.53 144.08 140.77 137.74 134.86 134.32 134.24 131.31 131.23 131.11 130.42 129.59 129.34 128.76 128.31 128.02 127.91 127.83 127.55 127.53 126.24 125.11 124.91 124.89 123.77 121.14 77.37 77.16 76.95 64.32 30.65 19.19 13.79
CO2nBu
3ma
f1 (ppm)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8.228
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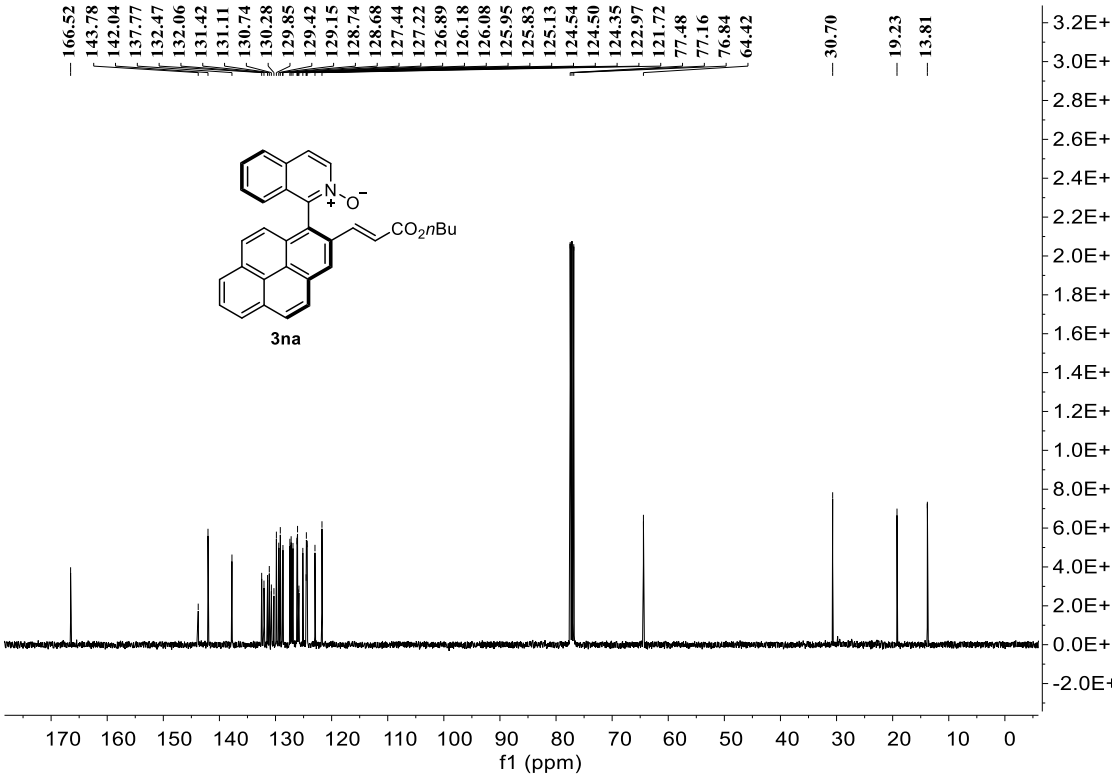
8.145
8.137
8.034
8.015
7.956
7.933
7.916
7.895
7.876
7.544
7.538
7.499
7.356
7.343
7.340
7.333
7.322
7.319
6.934
6.913
6.725
6.686
4.106
4.099
4.089
4.083
4.073
4.067
1.593
1.576
1.571
1.560
1.556
1.329
1.310
1.291
1.273
0.912
0.894
0.875
N
O
CO2nBu
3na
1.00
1.00
1.02
3.00
1.01
3.06
2.00
2.00
1.00
1.00
2.06
2.20
2.05
3.12
f1 (ppm)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131.11
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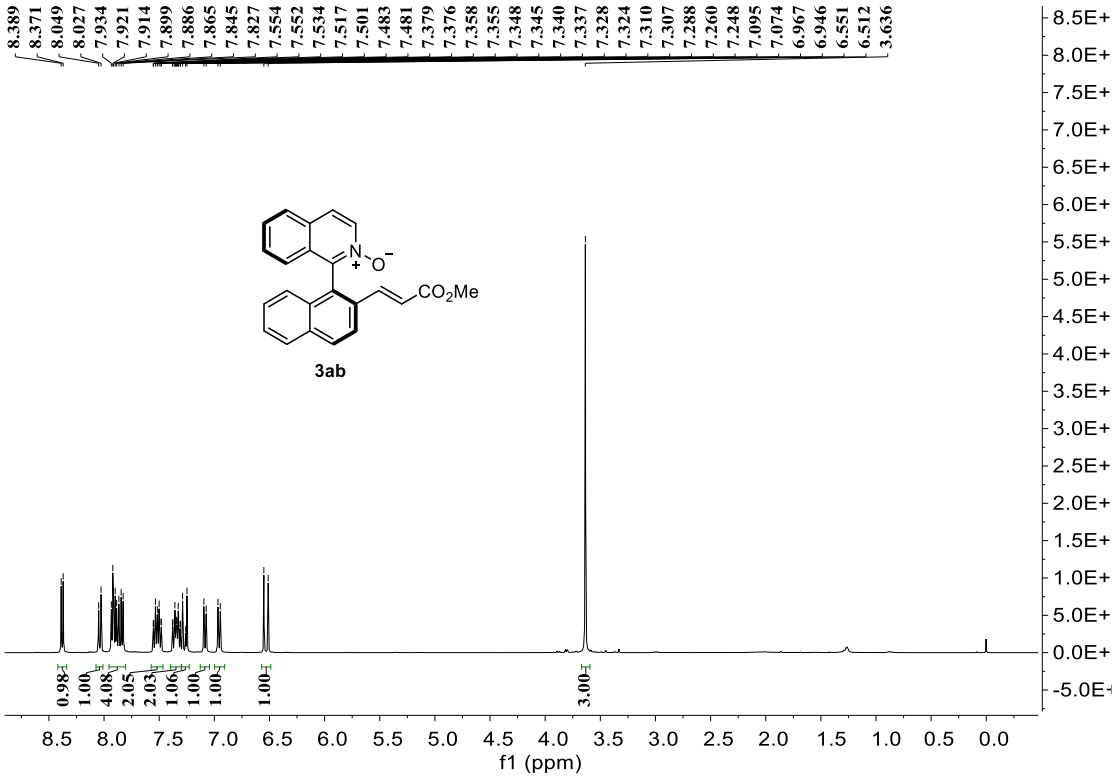
129.85
129.42
129.15
128.74
128.68
127.44
127.22
126.89
126.18
126.08
125.95
125.83
125.13
124.54
124.50
124.35
122.97
121.72
77.48
77.16
76.84
64.42
30.70
19.23
13.81
N
O
CO2nBu
3na
f1 (ppm)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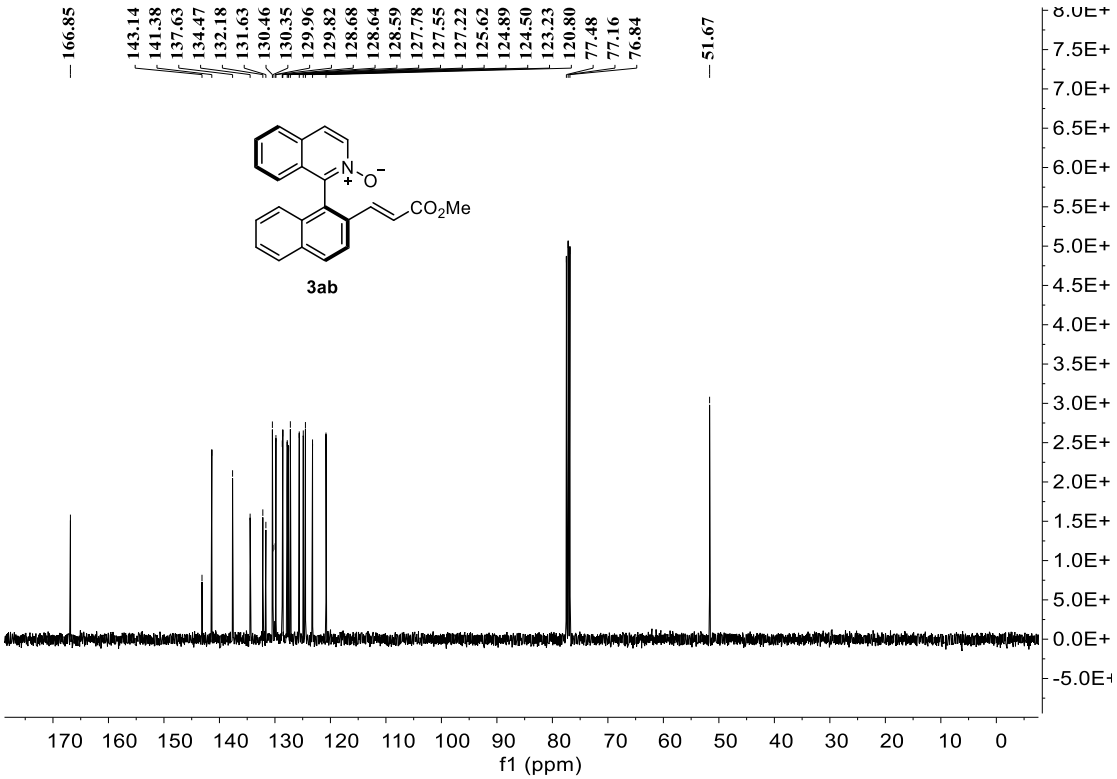
7.899
7.886
7.865
7.845
7.827
7.554
7.552
7.534
7.517
7.501
7.483
7.481
7.379
7.376
7.358
7.355
7.348
7.345
7.340
7.337
7.328
7.324
7.310
7.307
7.288
7.260
7.248
7.095
7.074
6.967
6.946
6.551
6.512
3.636
CO2Me
3ab
0.98
1.00
4.08
2.05
2.03
1.06
1.00
1.00
1.00
3.00
f1 (ppm)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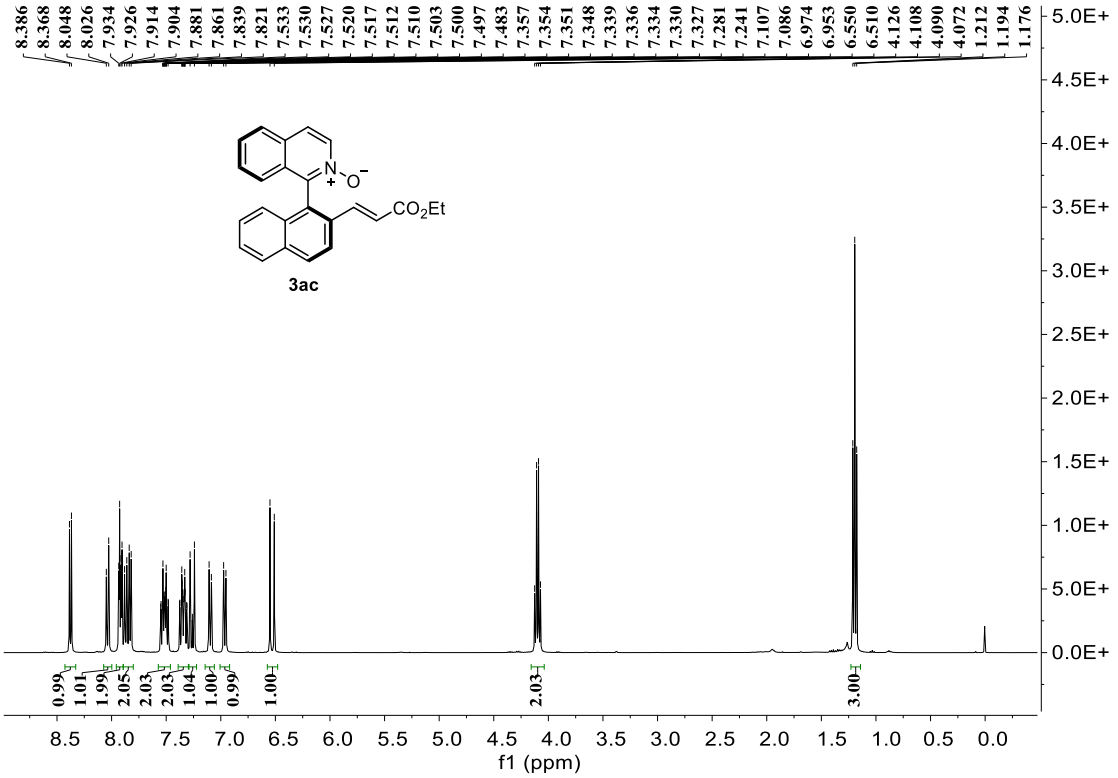
129.82
128.68
128.64
128.59
127.78
127.55
127.22
125.62
124.89
124.50
123.23
120.80
77.48
77.16
76.84
51.67
CO2Me
3ab
f1 (ppm)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7.904
7.881
7.861
7.839
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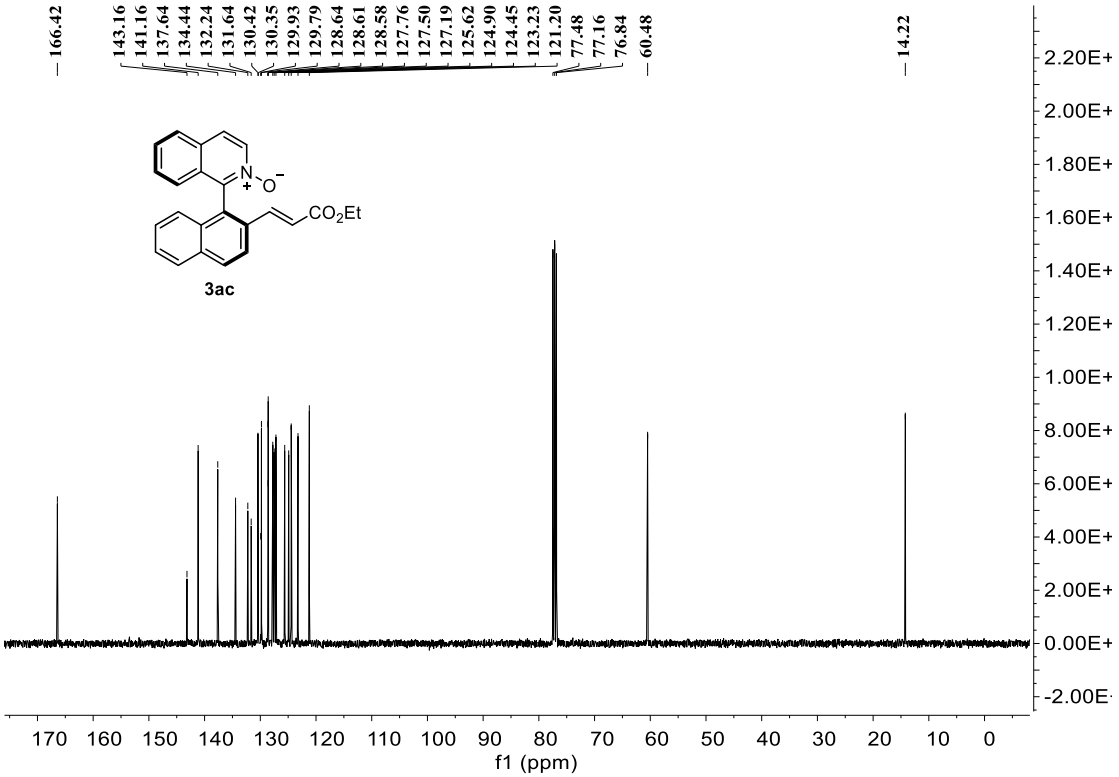
7.533
7.530
7.527
7.520
7.517
7.512
7.510
7.503
7.500
7.497
7.483
7.357
7.354
7.351
7.348
7.339
7.336
7.334
7.330
7.327
7.281
7.241
7.107
7.086
6.974
6.953
6.550
6.510
4.126
4.108
4.090
4.072
1.212
1.194
1.176
N
O
CO2Et
3ac
0.99
1.01
1.99
2.05
2.03
2.03
1.04
1.00
0.99
1.00
2.03
3.00
f1 (ppm)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130.42
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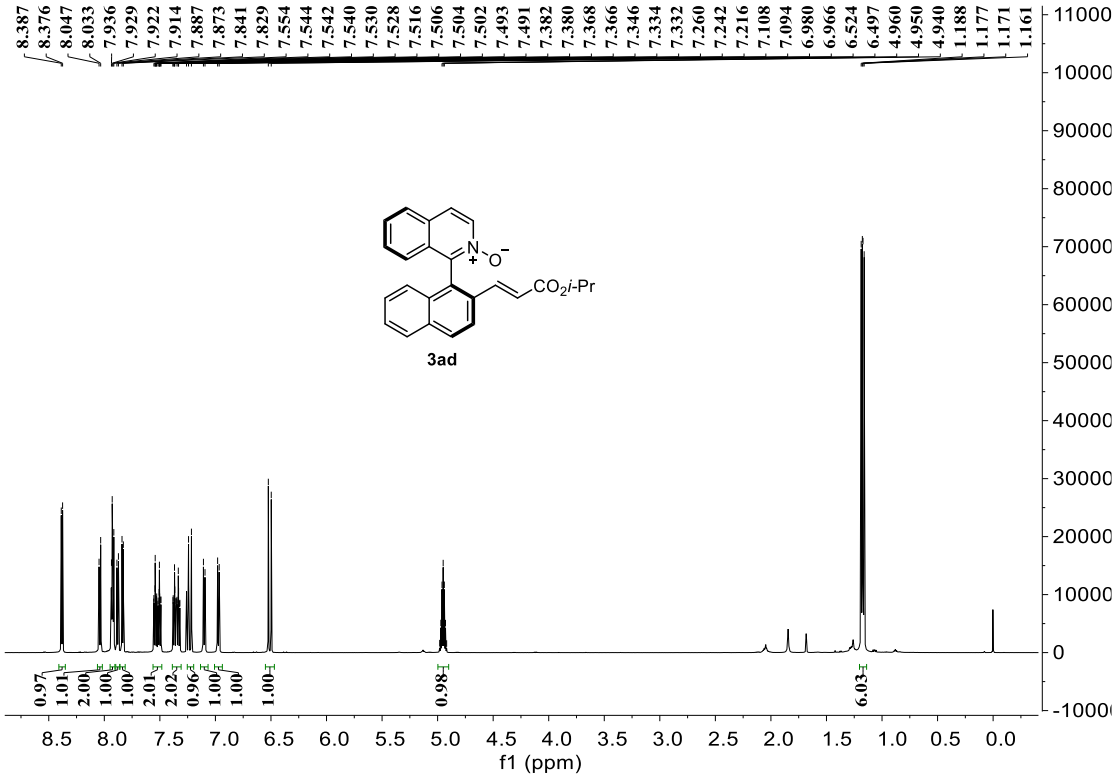
128.64
128.61
128.58
127.76
127.50
127.19
125.62
124.90
124.45
123.23
121.20
77.48
77.16
76.84
60.48
14.22
N
O
CO2Et
3ac
f1 (ppm)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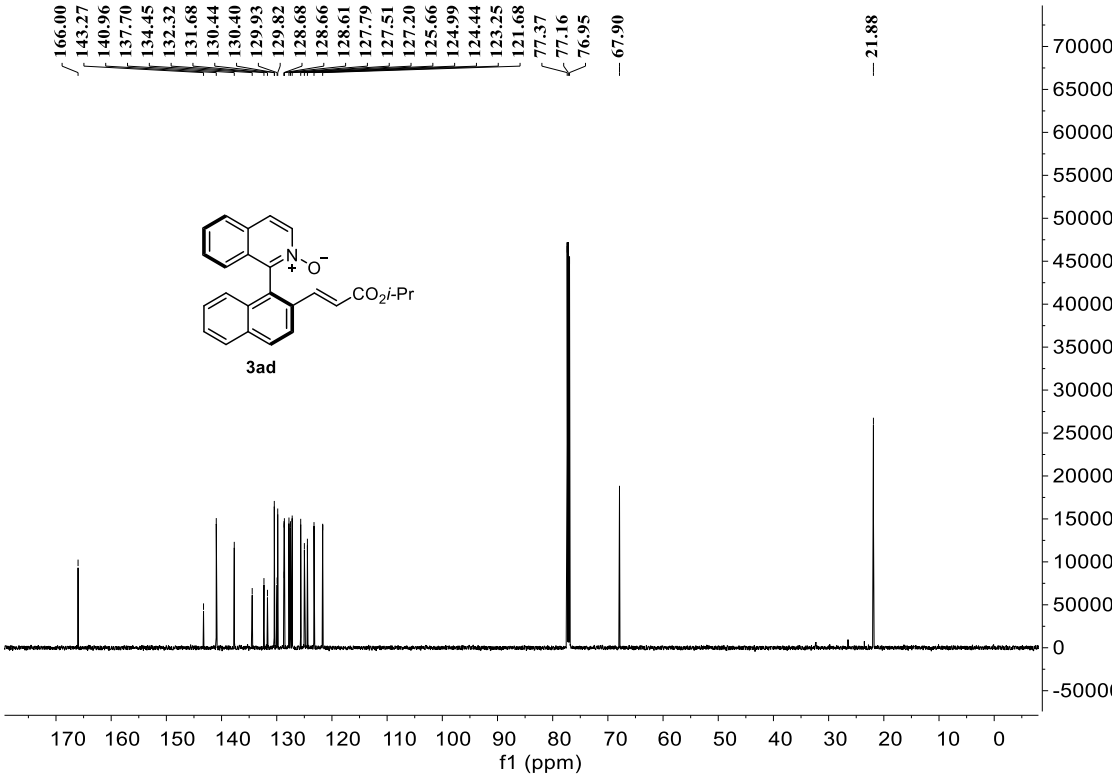
7.873
7.841
7.829
7.554
7.544
7.542
7.540
7.530
7.528
7.516
7.506
7.504
7.502
7.493
7.491
7.382
7.380
7.368
7.366
7.346
7.334
7.332
7.260
7.242
7.216
7.108
7.094
6.980
6.966
6.524
6.497
4.960
4.950
4.940
1.188
1.177
1.171
1.161
N
O
CO2i-Pr
3ad
0.97
1.01
2.00
1.00
1.00
2.01
2.02
0.96
1.00
1.00
1.00
0.98
6.03
f1 (ppm)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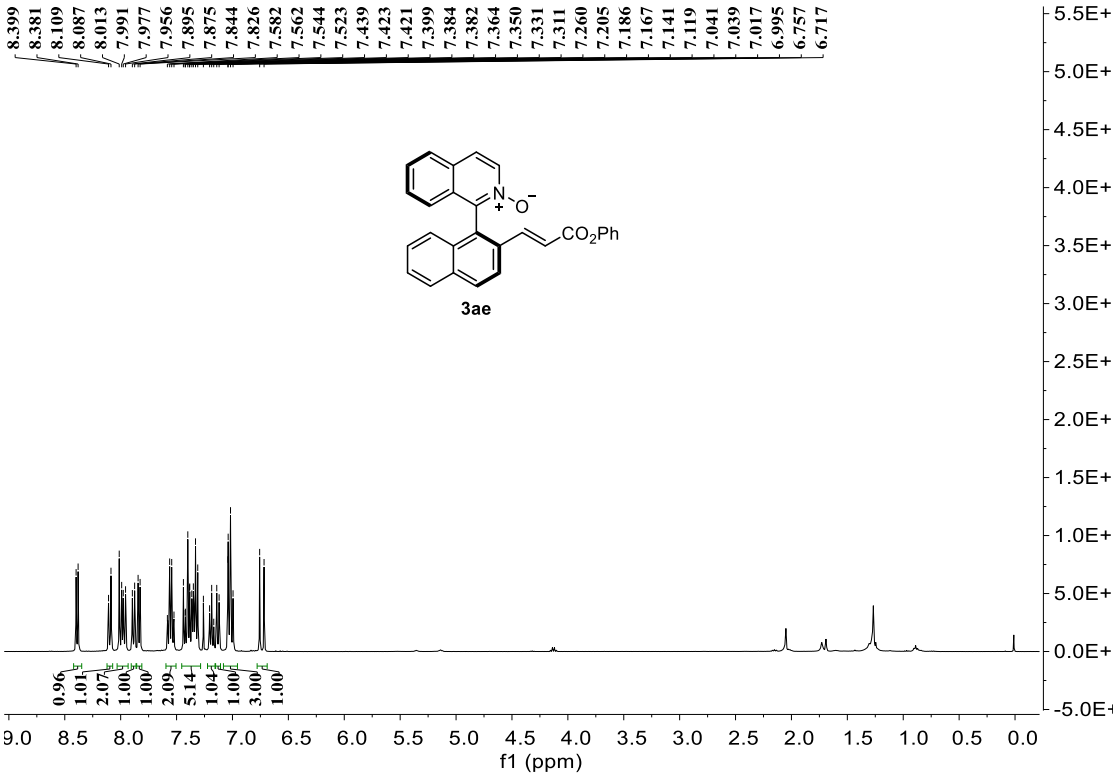
134.45
132.32
131.68
130.44
130.40
129.93
129.82
128.68
128.66
128.61
127.79
127.51
127.20
125.66
124.99
124.44
123.25
121.68
77.37
77.16
76.95
67.90
21.88
N
O
CO2i-Pr
3ad
f1 (ppm)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8.013
7.991
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7.956
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7.875
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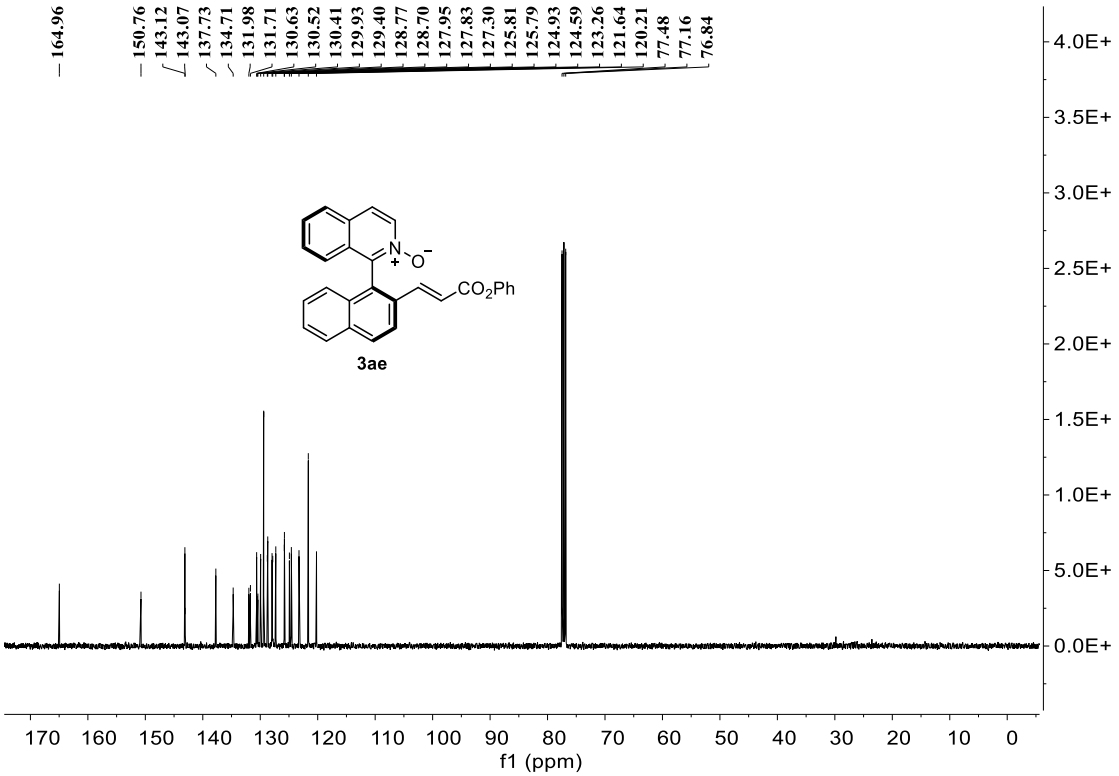
7.826
7.582
7.562
7.544
7.523
7.439
7.423
7.421
7.399
7.384
7.382
7.364
7.350
7.331
7.311
7.260
7.205
7.186
7.167
7.141
7.119
7.041
7.039
7.017
6.995
6.757
6.717
CO2Ph
3ae
0.96
1.01
2.07
1.00
1.00
2.09
5.14
1.04
1.00
3.00
1.00
f1 (ppm)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143.12
143.07
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134.71
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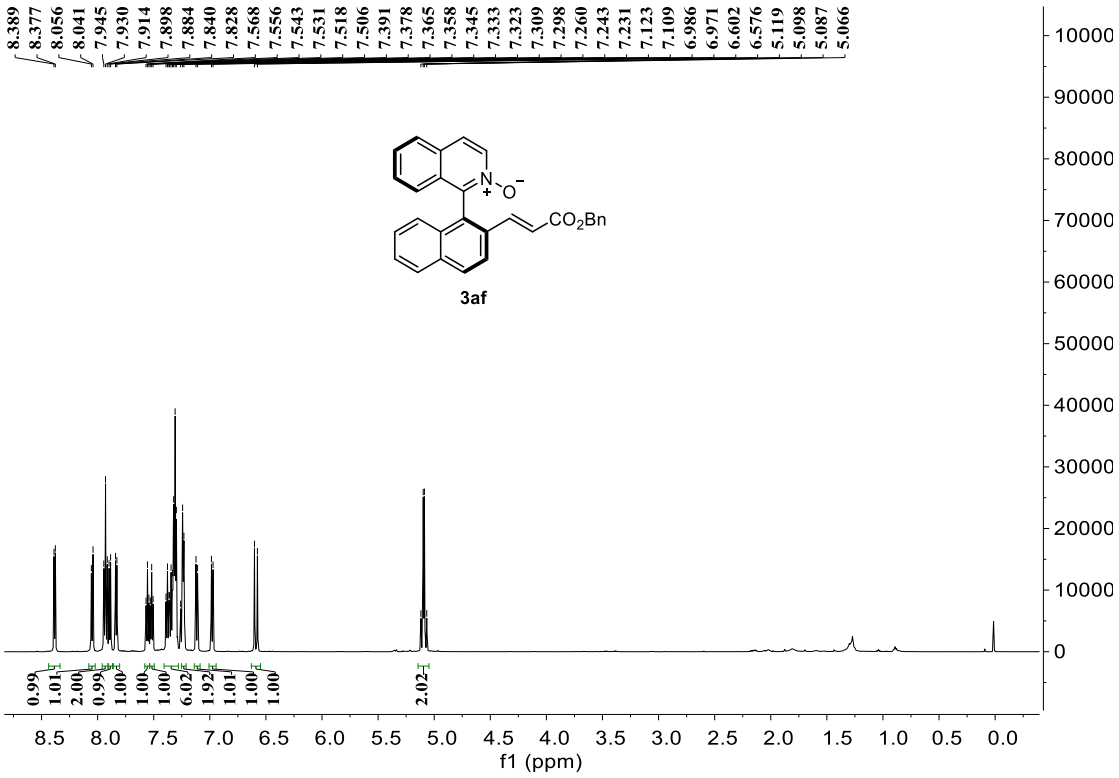
131.71
130.63
130.52
130.41
129.93
129.40
128.77
128.70
127.95
127.83
127.30
125.81
125.79
124.93
124.59
123.26
121.64
120.21
77.48
77.16
76.84
CO2Ph
3ae
f1 (ppm)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8.041
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7.898
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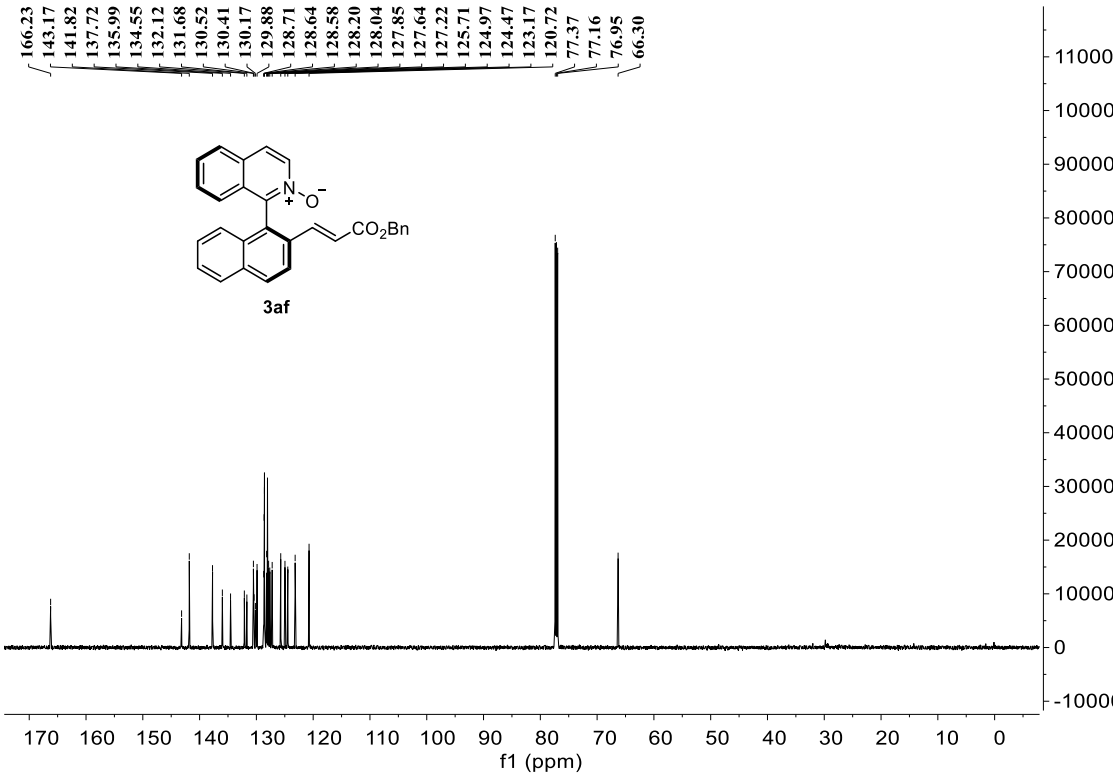
7.840
7.828
7.568
7.556
7.543
7.531
7.518
7.506
7.391
7.378
7.365
7.358
7.345
7.333
7.323
7.309
7.298
7.260
7.243
7.231
7.123
7.109
6.986
6.971
6.602
6.576
5.119
5.098
5.087
5.066
N
O
CO2Bn
3af
0.99
1.01
2.00
0.99
1.00
1.00
1.00
6.02
1.92
1.01
1.00
1.00
2.02
f1 (ppm)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134.55
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131.68
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130.41
130.17
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128.71
128.64
128.58
128.20
128.04
127.85
127.64
127.22
125.71
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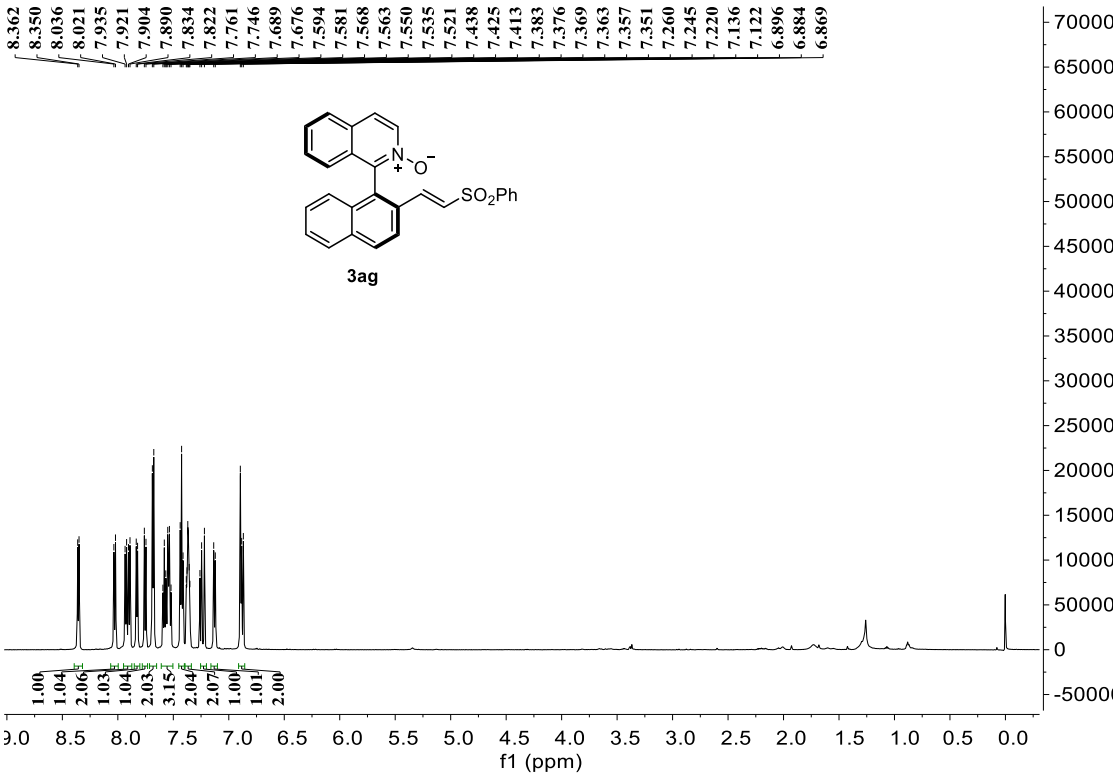
124.47
123.17
120.72
77.37
77.16
76.95
66.30
N
O
CO2Bn
3af
f1 (ppm)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7.746
7.689
7.676
7.594
7.581
7.568
7.563
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7.535
7.521
7.438
7.425
7.413
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7.376
7.369
7.363
7.357
7.351
7.260
7.245
7.220
7.136
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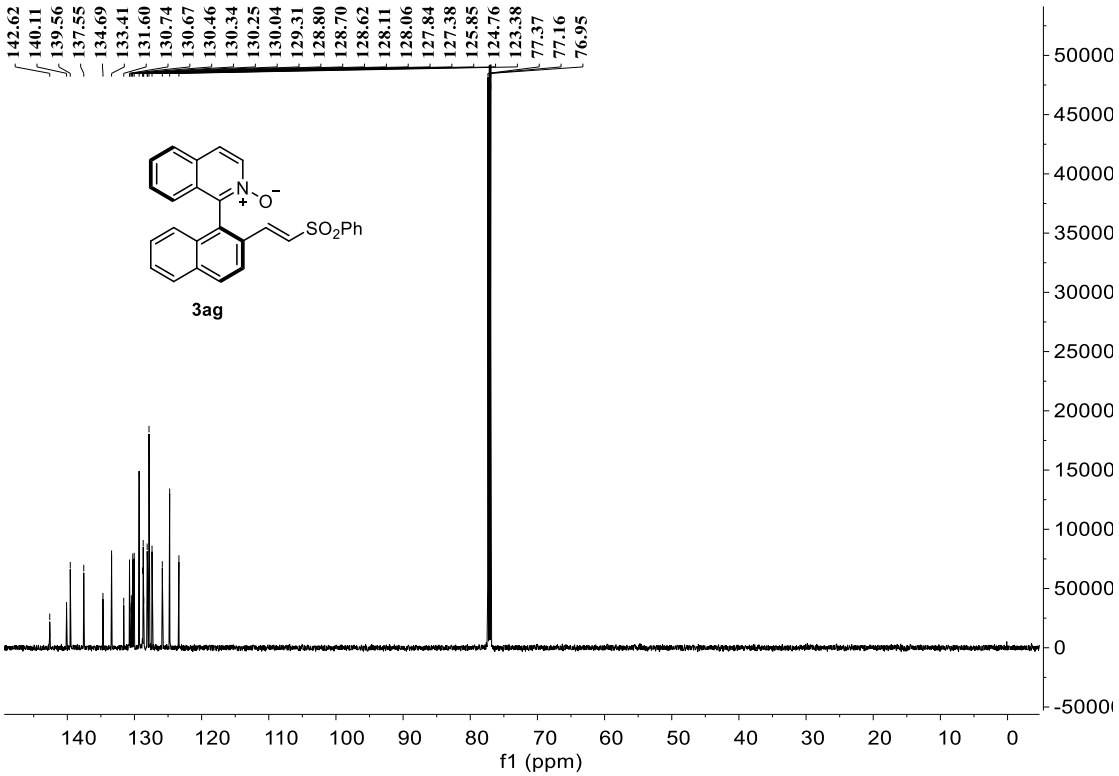
6.896
6.884
6.869
N
O
SO2Ph
3ag
1.00
1.04
2.06
1.03
1.04
2.03
3.15
2.04
2.07
1.00
1.01
2.00
f1 (ppm)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130.34
130.25
130.04
129.31
128.80
128.70
128.62
128.11
128.06
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123.38
77.37
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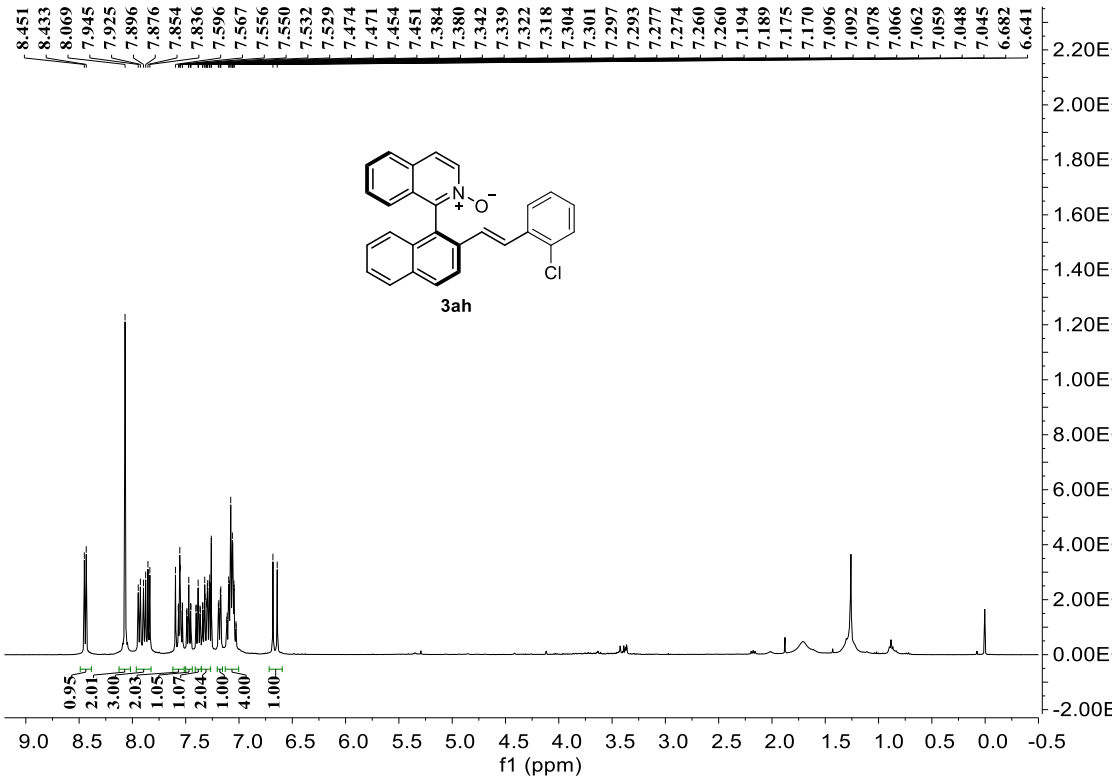
N
O
SO2Ph
3ag
f1 (ppm)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7.854
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7.596
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7.556
7.550
7.532
7.529
7.474
7.471
7.454
7.451
7.384
7.380
7.342
7.339
7.322
7.318
7.304
7.301
7.297
7.293
7.277
7.274
7.260
7.260
7.194
7.189
7.175
7.170
7.096
7.092
7.078
7.066
7.062
7.059
7.048
7.045
6.682
6.641
N
O
Cl
3ah
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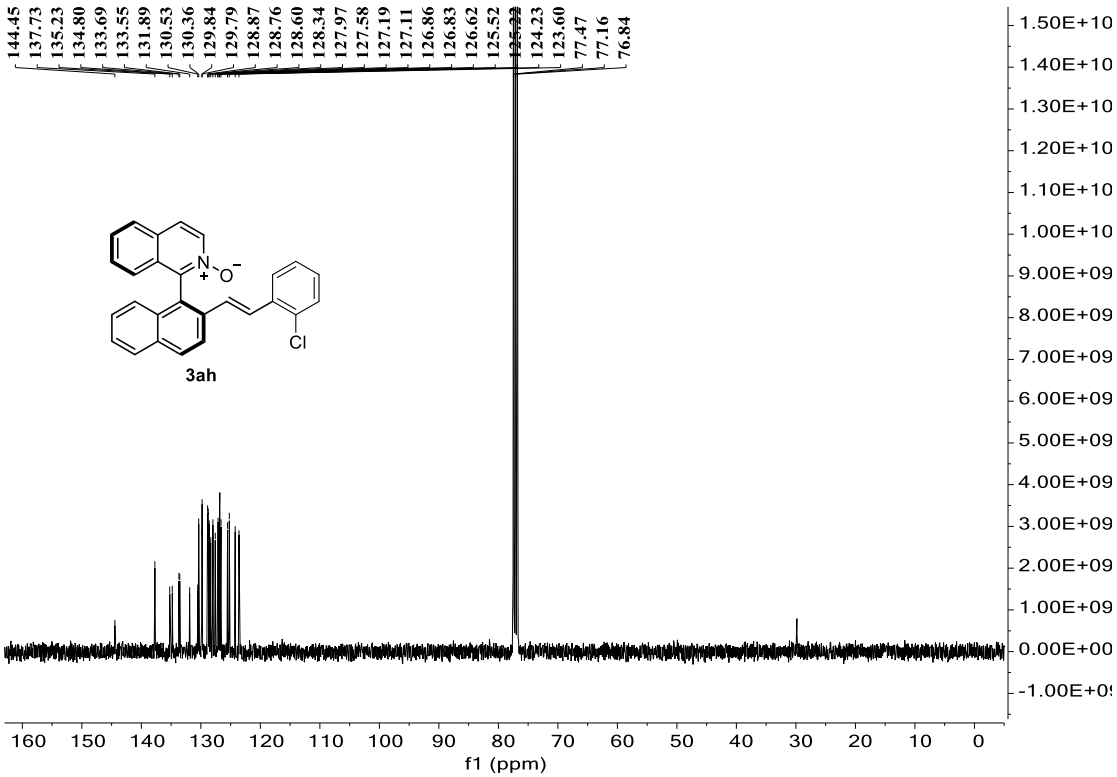
3.00
2.03
1.05
1.07
2.04
1.00
4.00
1.00
f1 (ppm)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133.55
131.89
130.53
130.36
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128.87
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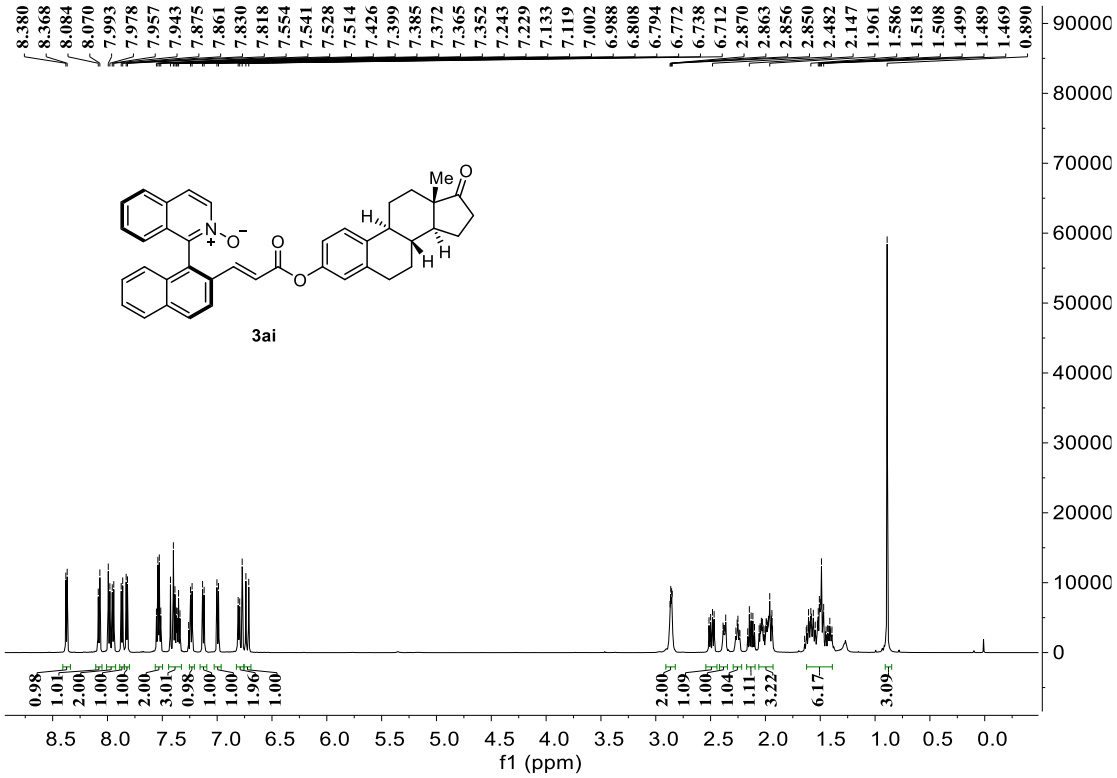
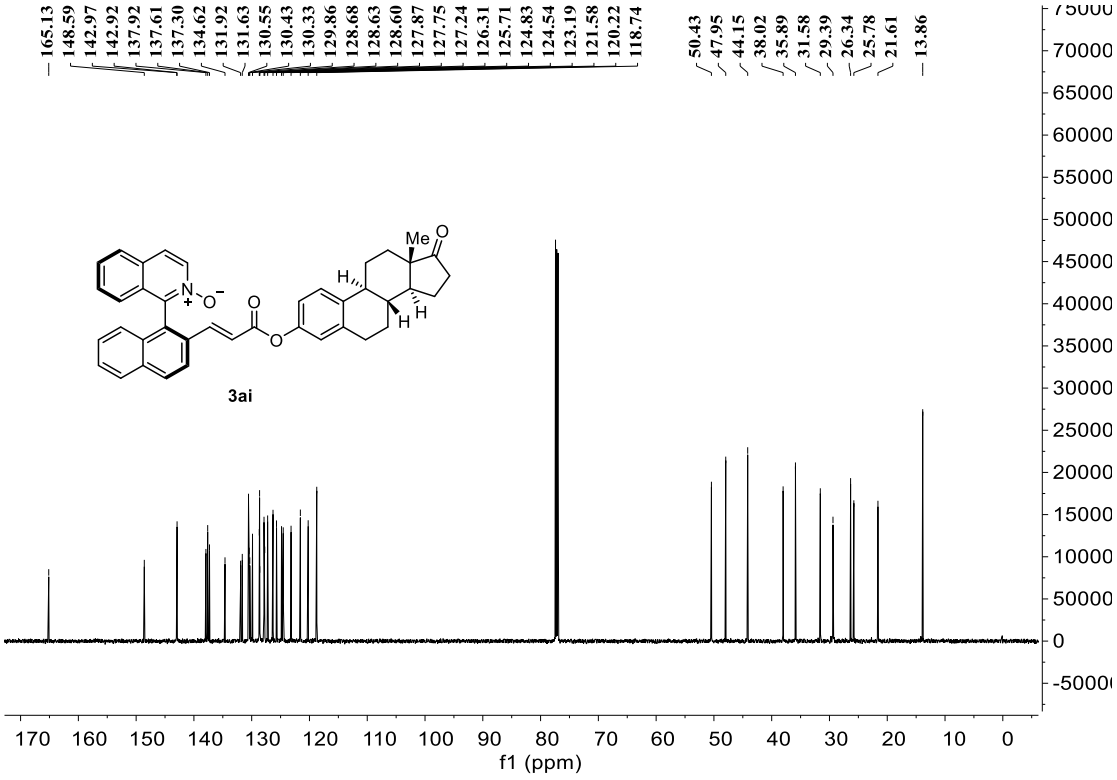
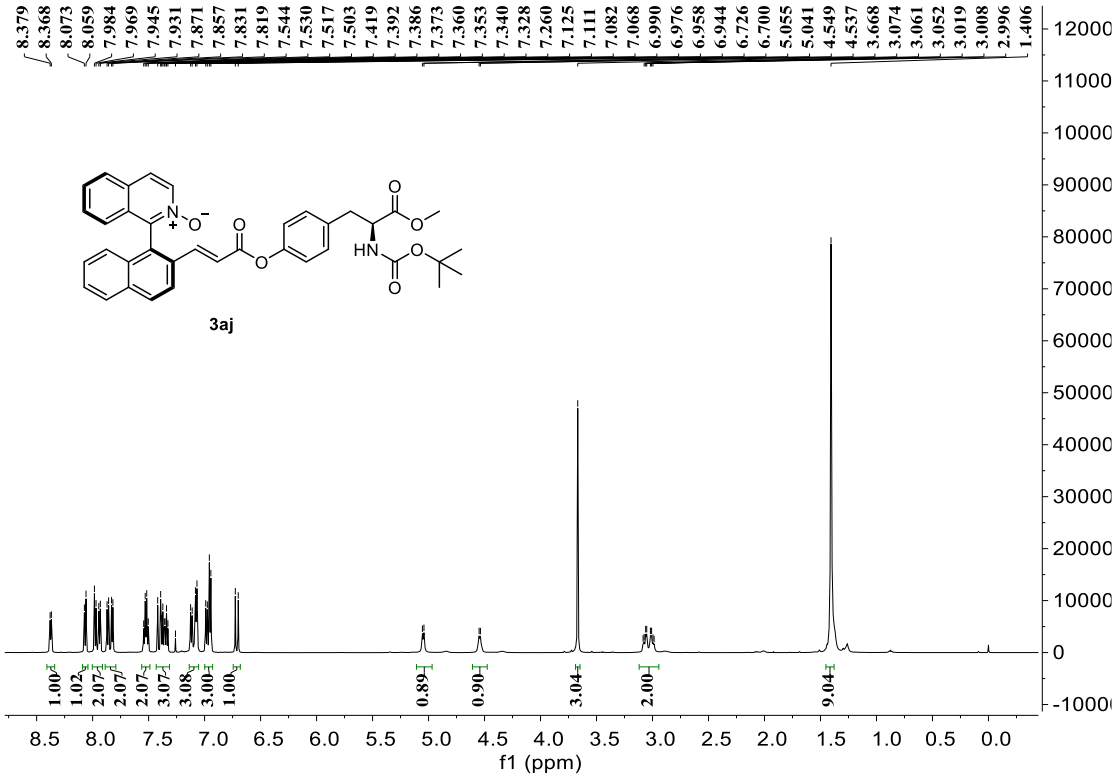
128.60
128.34
127.97
127.58
127.19
127.11
126.86
126.83
126.62
125.52
124.23
123.60
77.47
77.16
76.84
N
O
Cl
3ah
f1 (ppm)


8.380 8.368 8.084 8.070 7.993 7.978 7.957 7.943 7.875 7.861 7.830 7.818 7.554 7.541 7.528 7.514 7.426 7.399 7.385 7.372 7.365 7.352 7.243 7.229 7.133 7.119 7.002 6.988 6.808 6.794 6.772 6.738 6.712 2.870 2.863 2.856 2.850 2.482 2.147 1.961 1.586 1.518 1.508 1.499 1.489 1.469 0.890
Me
O
H
H
N
O
O
O
3ai
0.98 1.01 2.00 1.00 1.00 2.00 3.01 0.98 1.00 1.00 1.96 1.00 2.00 1.09 1.00 1.04 1.11 3.22 6.17 3.09
f1 (ppm)


165.13 148.59 142.97 142.92 137.92 137.61 137.30 134.62 131.92 131.63 130.55 130.43 130.33 129.86 128.68 128.63 128.60 127.87 127.75 127.24 126.31 125.71 124.83 124.54 123.19 121.58 120.22 118.74
50.43 47.95 44.15 38.02 35.89 31.58 29.39 26.34 25.78 21.61 13.86
Me
O
H
H
N
O
O
O
3ai
f1 (ppm)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7.857
7.831
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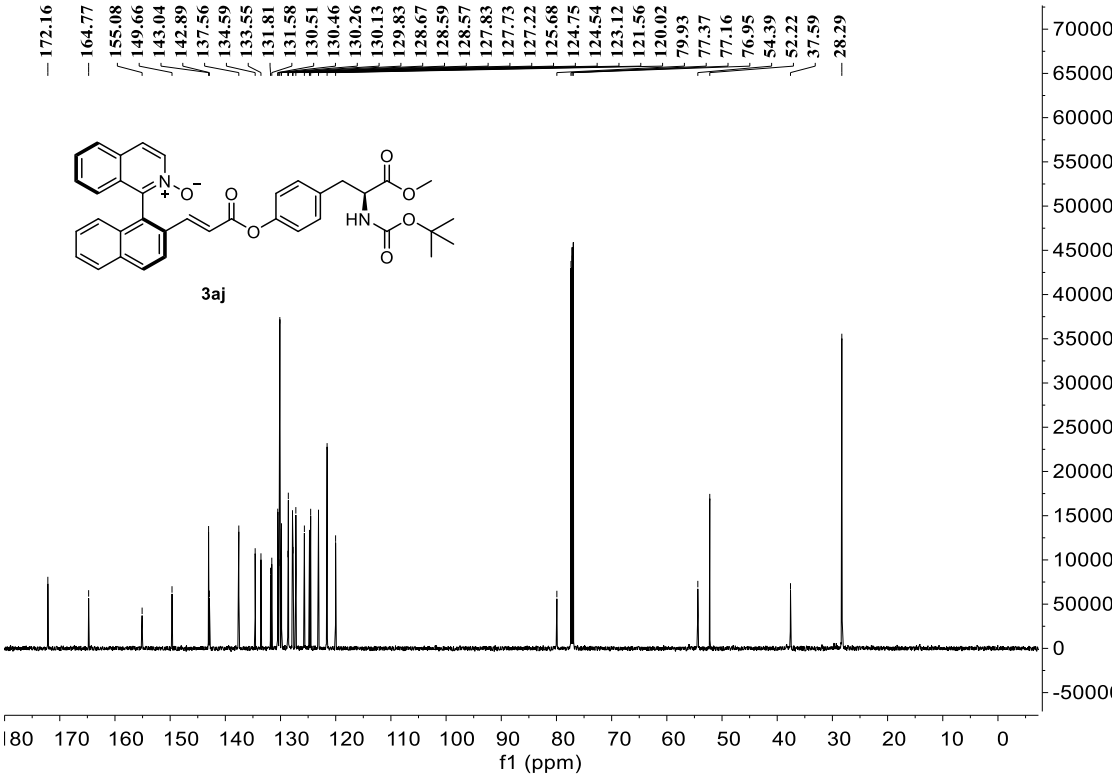
7.544
7.530
7.517
7.503
7.419
7.392
7.386
7.373
7.360
7.353
7.340
7.328
7.260
7.125
7.111
7.082
7.068
6.990
6.976
6.958
6.944
6.726
6.700
5.055
5.041
4.549
4.537
3.668
3.074
3.061
3.052
3.019
3.008
2.996
1.406
3aj
1.00
1.02
2.07
2.07
2.07
3.07
3.08
3.00
1.00
0.89
0.90
3.04
2.00
9.04
f1 (ppm)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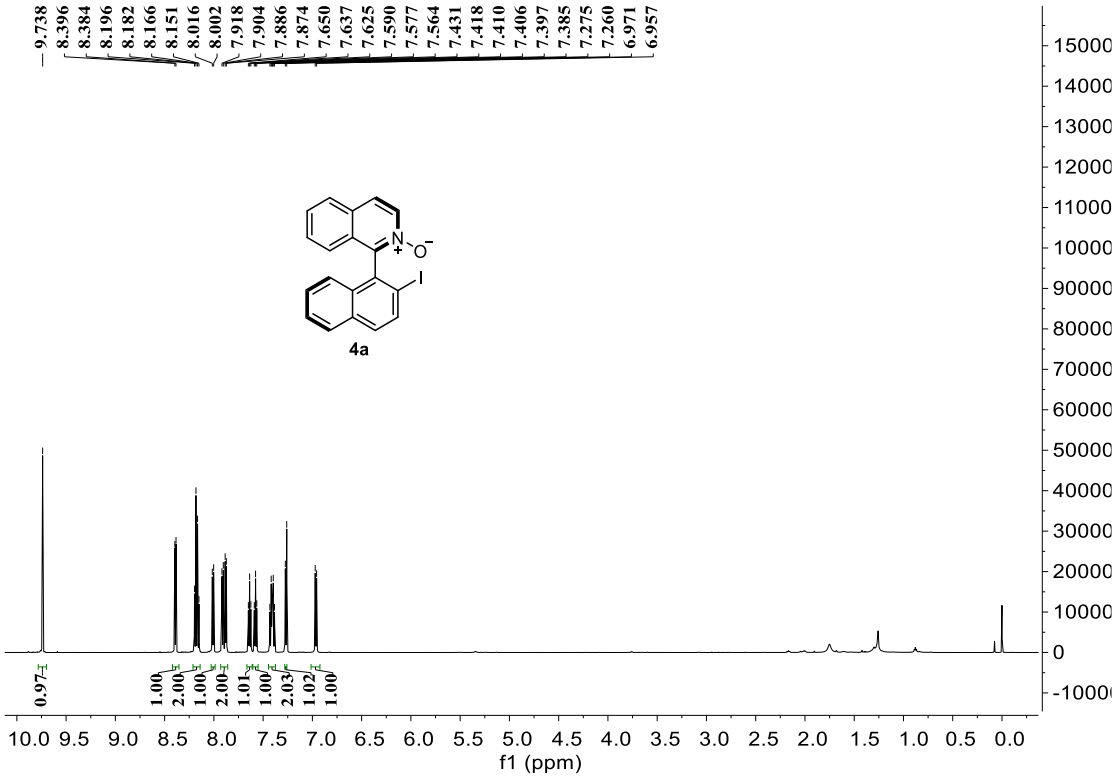
164.77
155.08
149.66
143.04
142.89
137.56
134.59
133.55
131.81
131.58
130.51
130.46
130.26
130.13
129.83
128.67
128.59
128.57
127.83
127.73
127.22
125.68
124.75
124.54
123.12
121.56
120.02
79.93
77.37
77.16
76.95
54.39
52.22
37.59
28.29
3aj
f1 (ppm)


9.738
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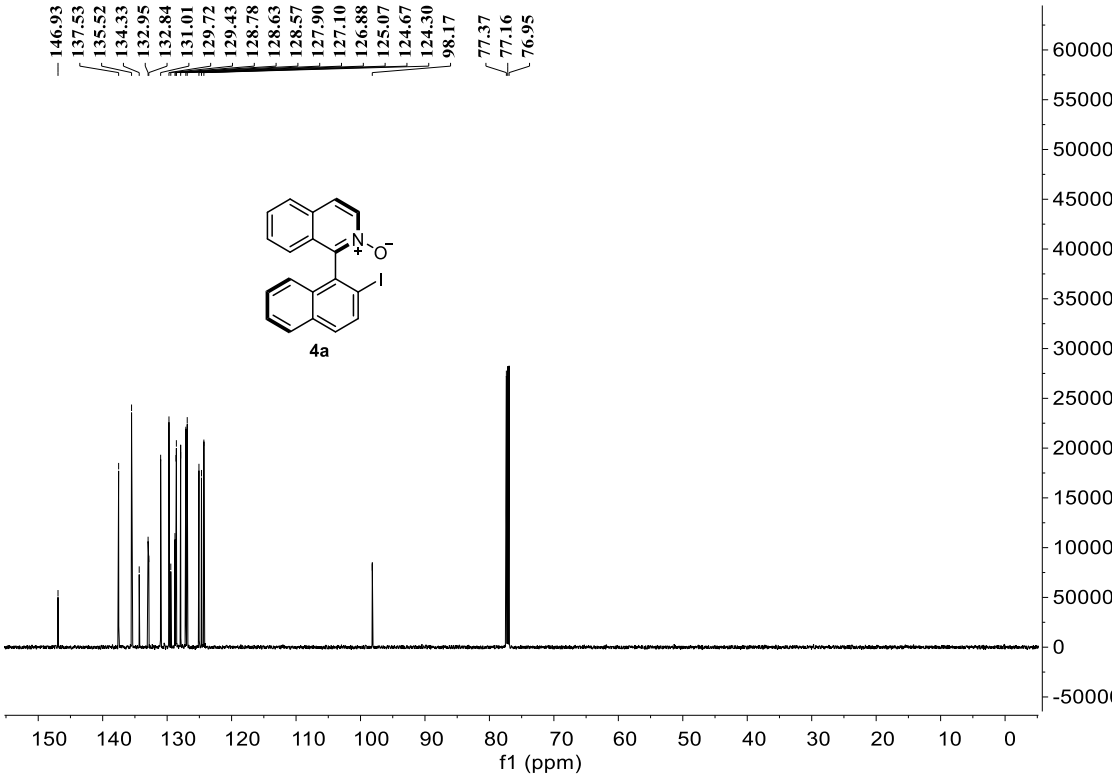
8.384
8.196
8.182
8.166
8.151
8.016
8.002
7.918
7.904
7.886
7.874
7.650
7.637
7.625
7.590
7.577
7.564
7.431
7.418
7.410
7.406
7.397
7.385
7.275
7.260
6.971
6.957
4a
0.97
1.00
2.00
1.00
2.00
1.01
1.00
2.03
1.02
1.00
f1 (ppm)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137.53
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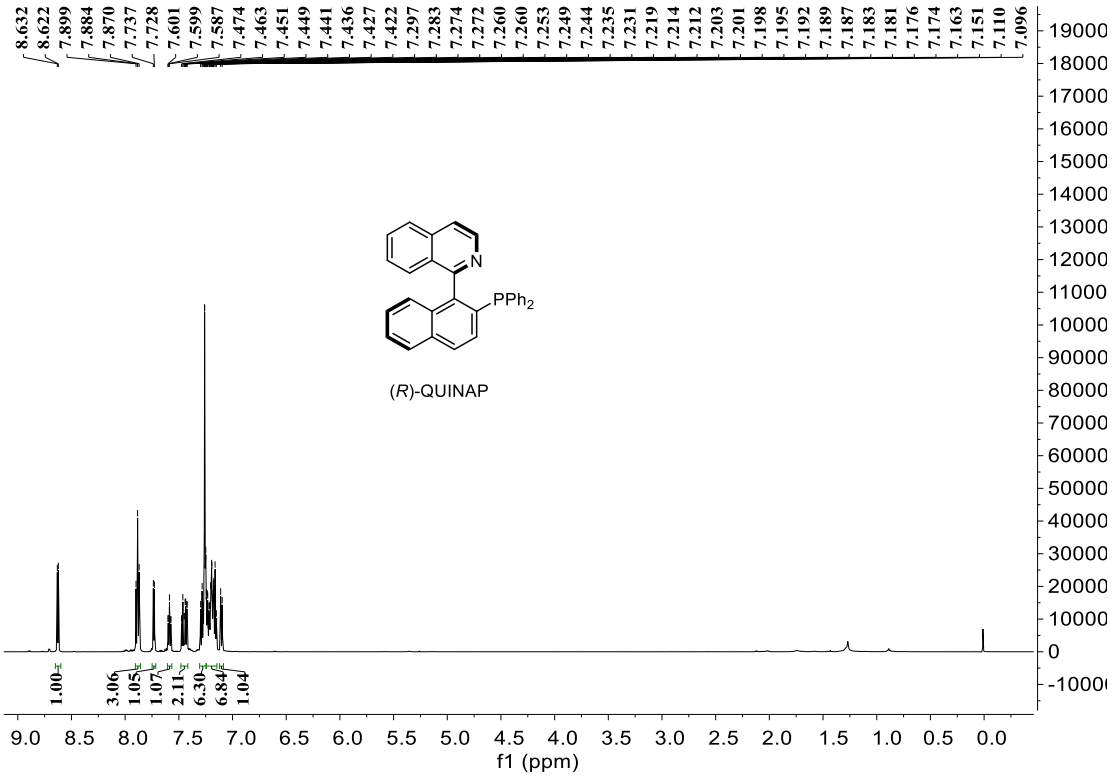
134.33
132.95
132.84
131.01
129.72
129.43
128.78
128.63
128.57
127.90
127.10
126.88
125.07
124.67
124.30
98.17
77.37
77.16
76.95
4a
f1 (ppm)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7.599
7.587
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7.463
7.451
7.449
7.441
7.436
7.427
7.422
7.297
7.283
7.274
7.272
7.260
7.253
7.249
7.244
7.235
7.231
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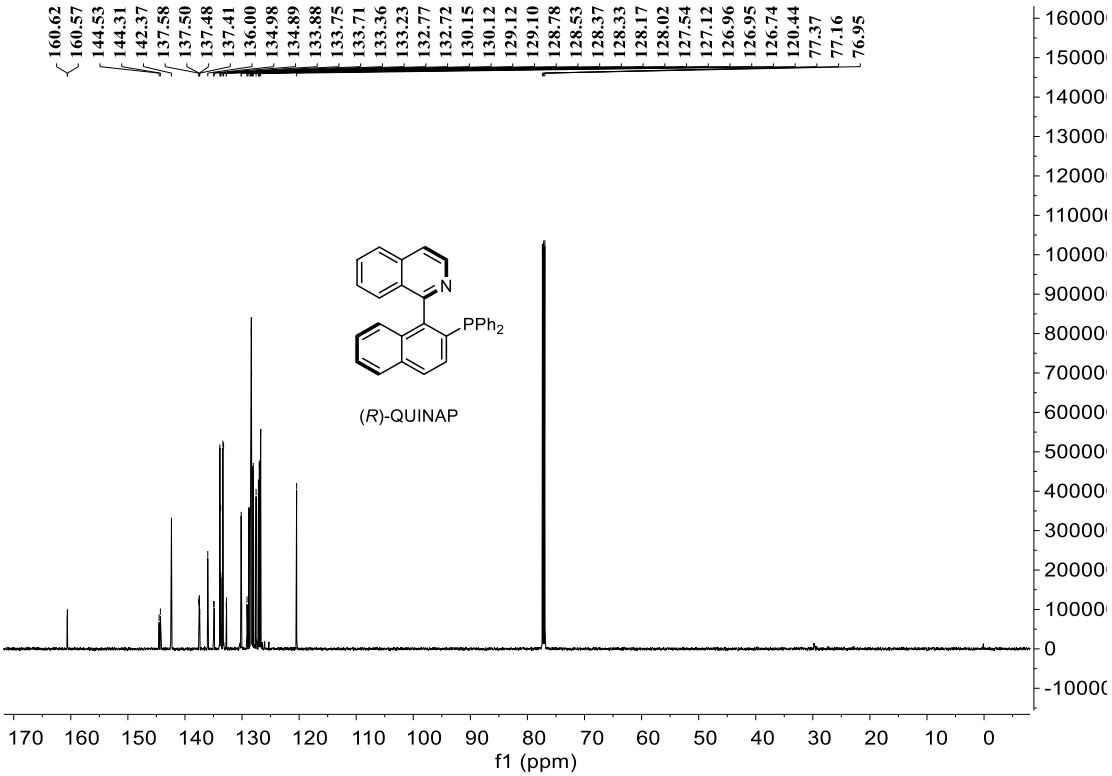
7.214
7.212
7.203
7.201
7.198
7.195
7.192
7.189
7.187
7.183
7.181
7.176
7.174
7.163
7.151
7.110
7.096
N
PPh2
(R)-QUINAP
1.00
3.06
1.05
1.07
2.11
6.30
6.84
1.04
f1 (ppm)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137.41
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133.88
133.75
133.71
133.36
133.23
132.77
132.72
130.15
130.12
129.12
129.10
128.78
128.53
128.37
128.33
128.17
128.02
127.54
127.12
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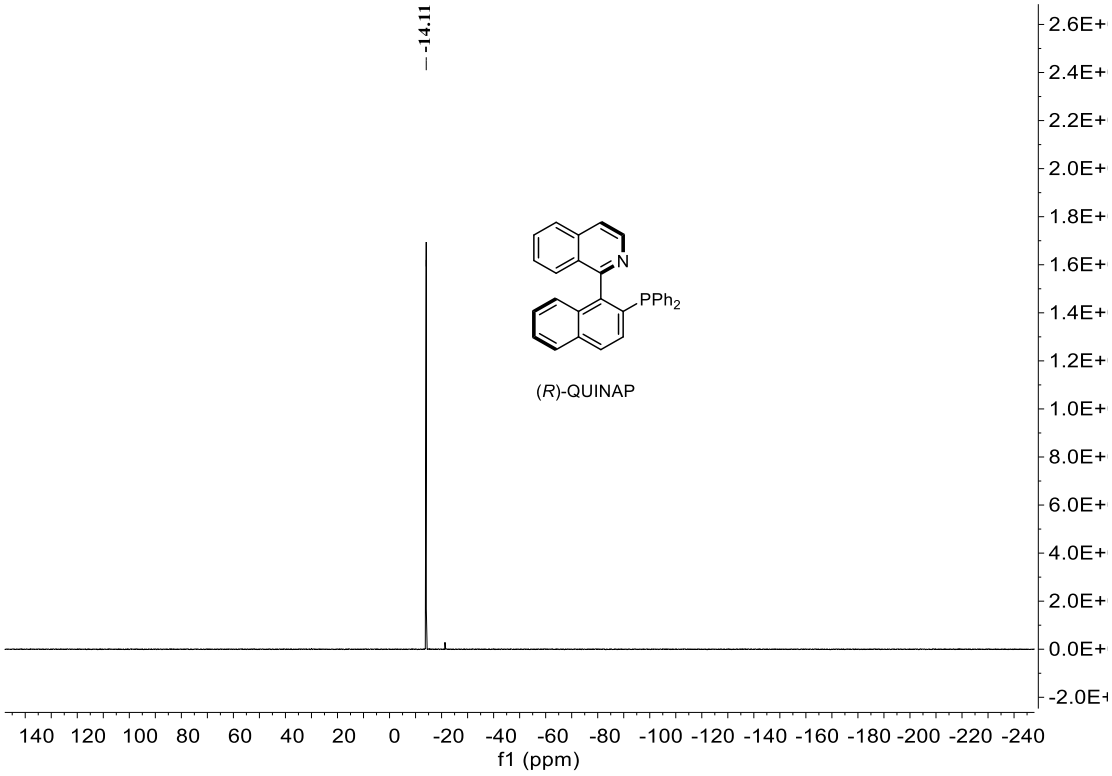
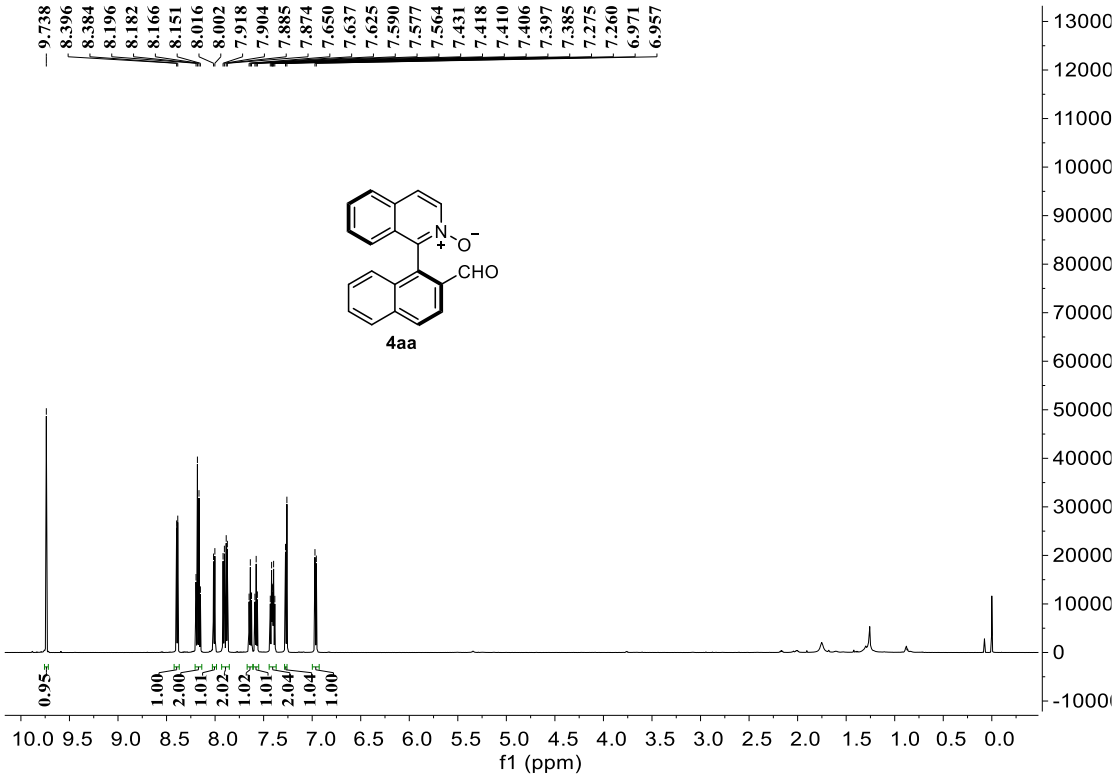
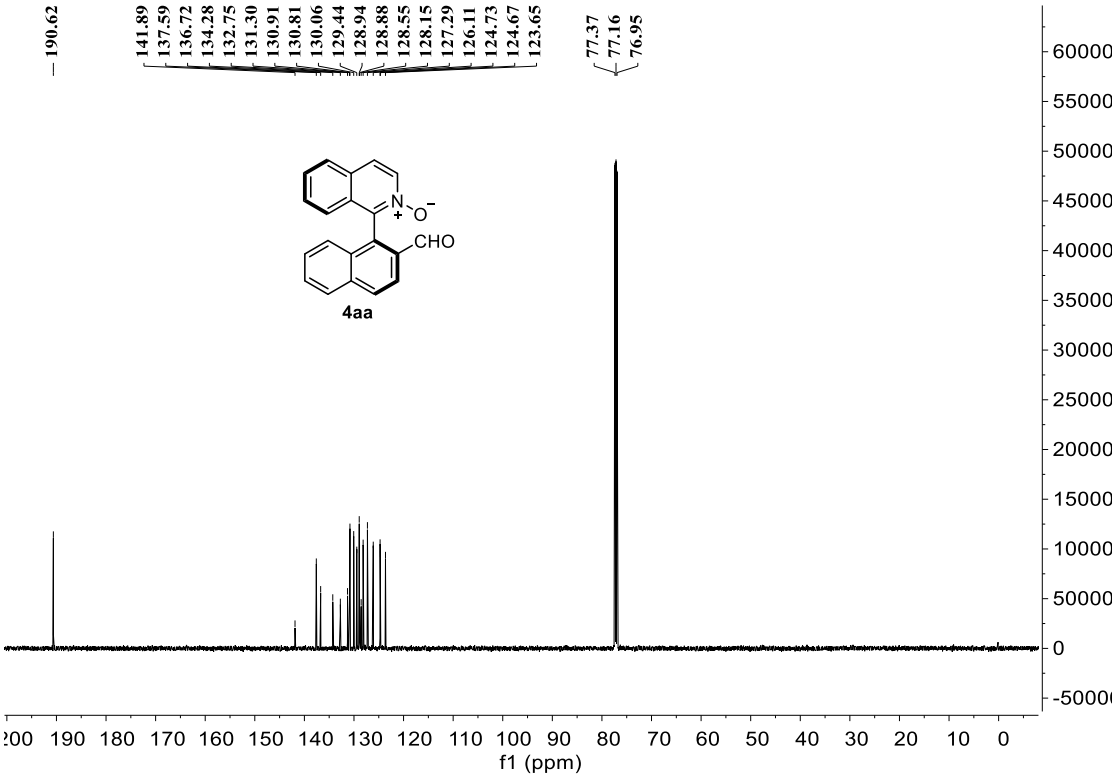
126.95
126.74
120.44
77.37
77.16
76.95
N
PPh2
(R)-QUINAP
f1 (ppm)


-14.11
N
PPh2
(R)-QUINAP
2.6E+
2.4E+
2.2E+
2.0E+
1.8E+
1.6E+
1.4E+
1.2E+
1.0E+
8.0E+
6.0E+
4.0E+
2.0E+
0.0E+
-2.0E+
140 120 100 80 60 40 20 0 -20 -40 -60 -80 -100 -120 -140 -160 -180 -200 -220 -240
f1 (ppm)


9.738
8.396
8.384
8.196
8.182
8.166
8.151
8.016
8.002
7.918
7.904
7.885
7.874
7.650
7.637
7.625
7.590
7.577
7.564
7.431
7.418
7.410
7.406
7.397
7.385
7.275
7.260
6.971
6.957
CHO
4aa
0.95
1.00
2.00
1.01
2.02
1.02
1.01
2.04
1.04
1.00
f1 (ppm)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134.28
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130.91
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130.06
129.44
128.94
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128.55
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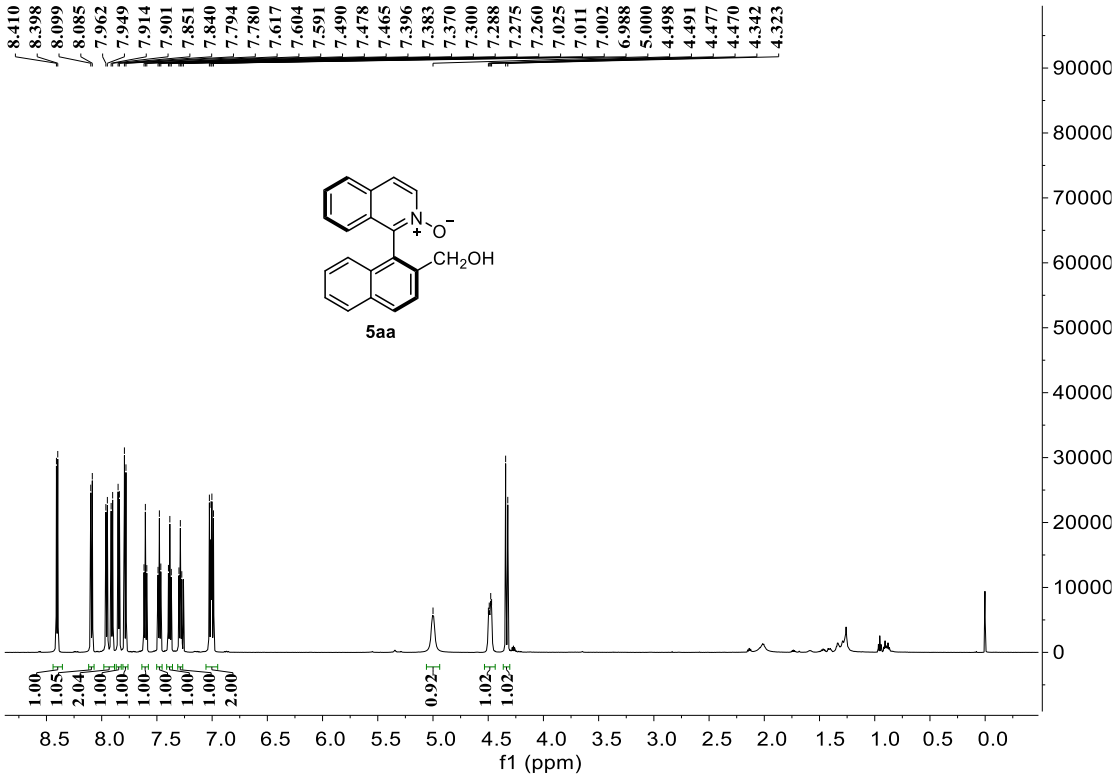
77.37
77.16
76.95
CHO
4aa
f1 (ppm)


8.410
8.398
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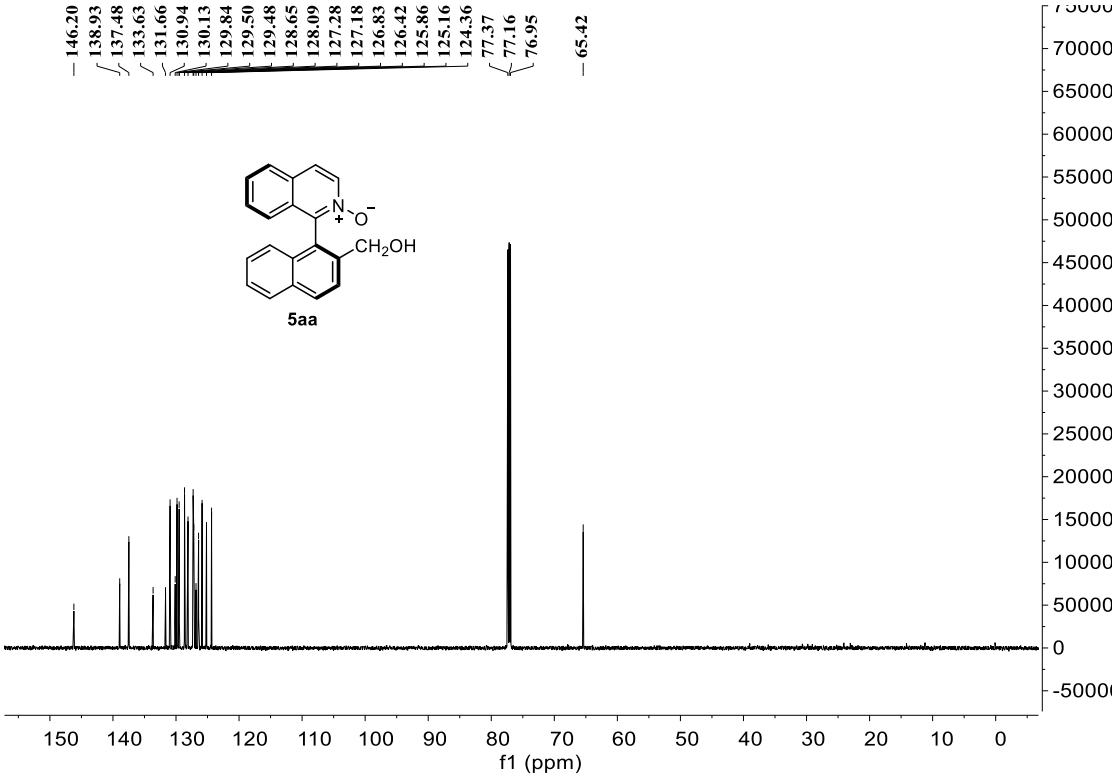
8.085
7.962
7.949
7.914
7.901
7.851
7.840
7.794
7.780
7.617
7.604
7.591
7.490
7.478
7.465
7.396
7.383
7.370
7.300
7.288
7.275
7.260
7.025
7.011
7.002
6.988
5.000
4.498
4.491
4.477
4.470
4.342
4.323
N
O
CH2OH
5aa
1.00
1.05
2.04
1.00
1.00
1.00
1.00
1.00
1.00
2.00
0.92
1.02
1.02
f1 (ppm)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137.48
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130.13
129.84
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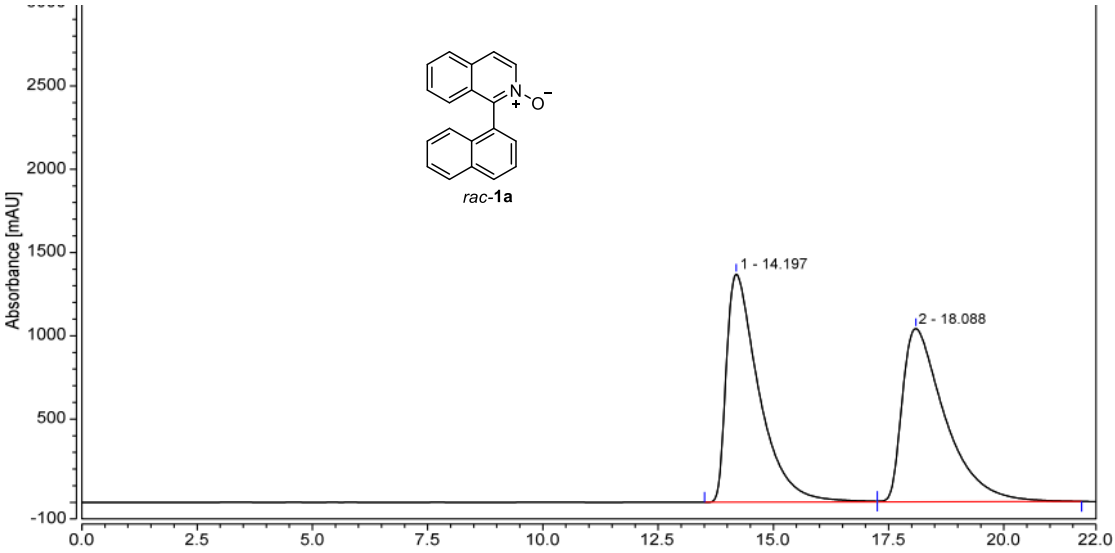
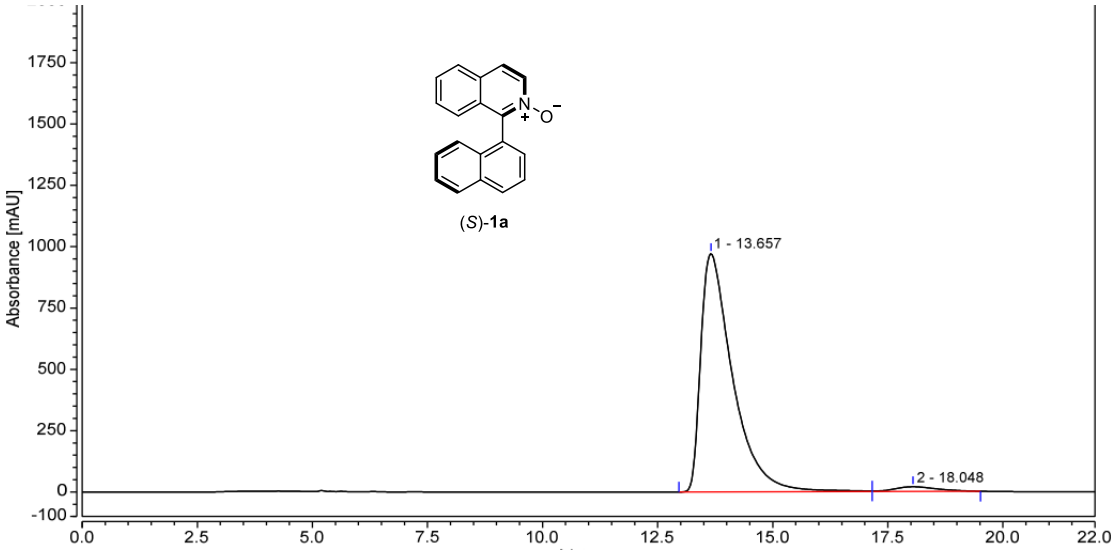
129.48
128.65
128.09
127.28
127.18
126.83
126.42
125.86
125.16
124.36
77.37
77.16
76.95
65.42
N
O
CH2OH
5aa
f1 (ppm)

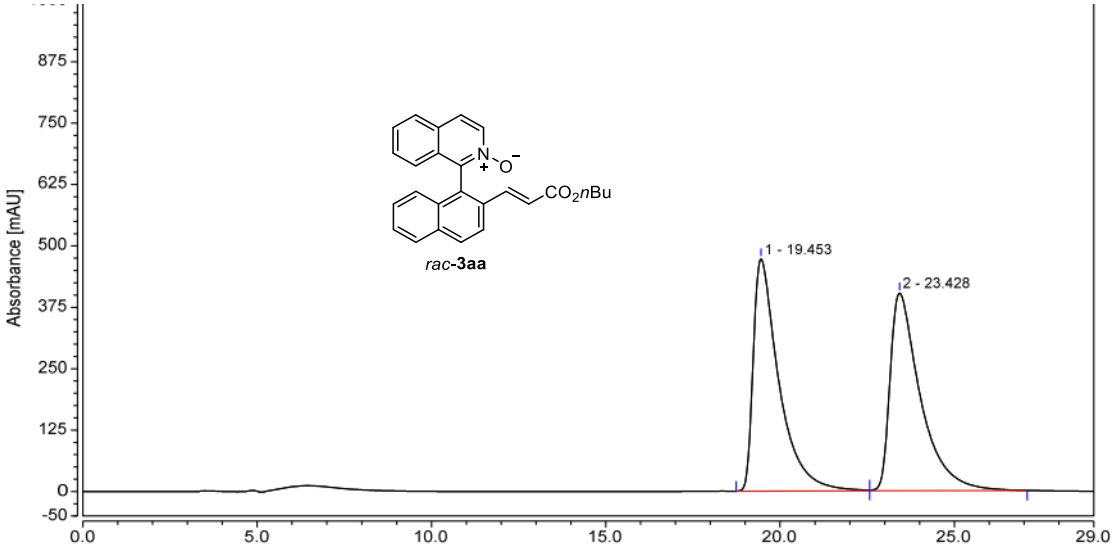
5. Copies of HPLC spectra for racemic and chiral products



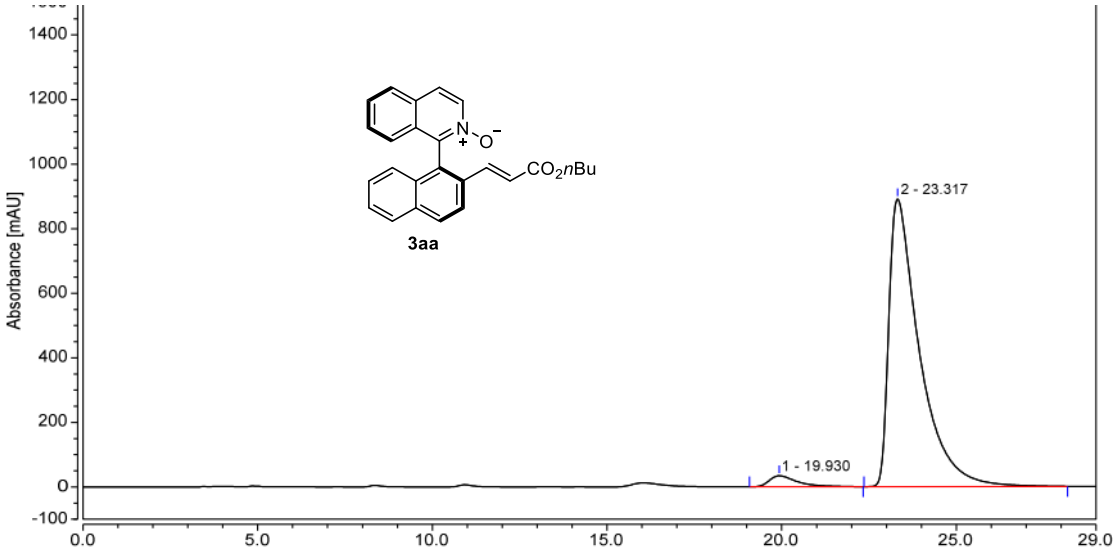
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.197	1126.028	1369.101	50.15	56.83
2	18.088	1119.083	1040.064	49.85	43.17
Total:		**2245.111**	**2409.165**	**100.00**	**100.00**



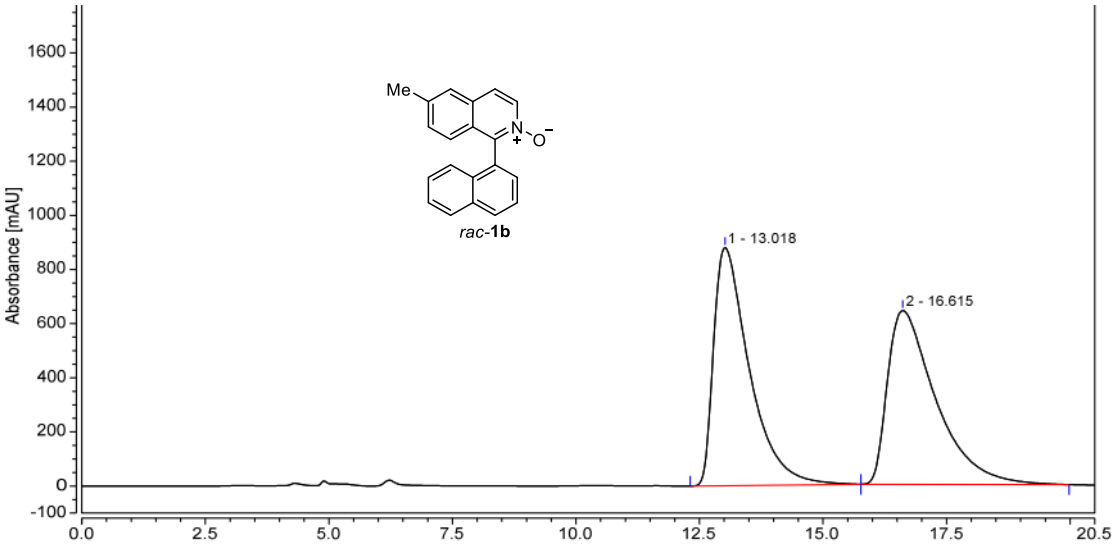
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.657	779.811	971.465	97.46	98.06
2	18.048	20.357	19.197	2.54	1.94
Total:		**800.168**	**990.663**	**100.00**	**100.00**



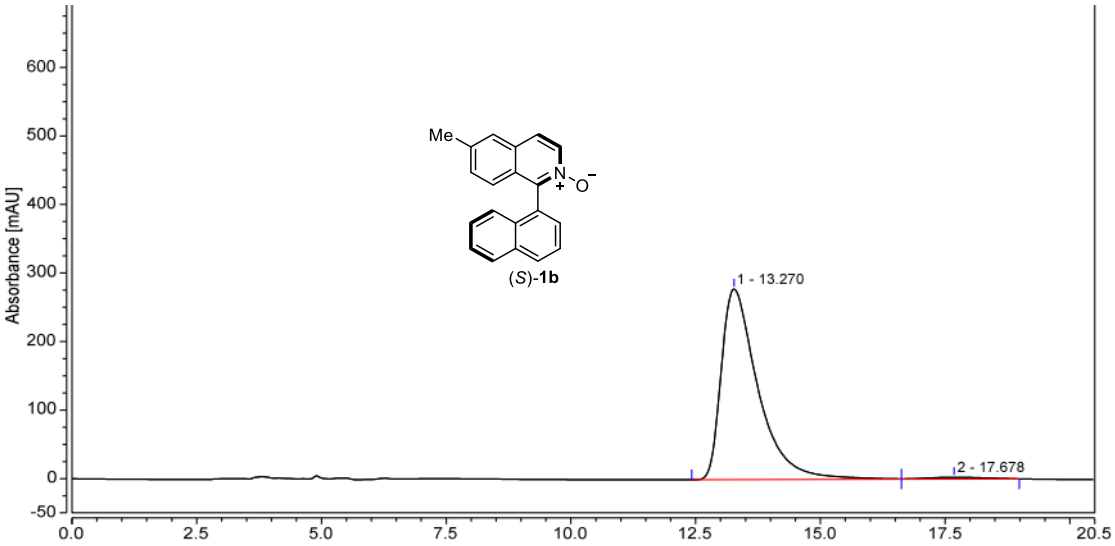
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	19.453	405.598	473.162	49.96	54.01
2	23.428	406.249	402.914	50.04	45.99
Total:		**811.847**	**876.076**	**100.00**	**100.00**



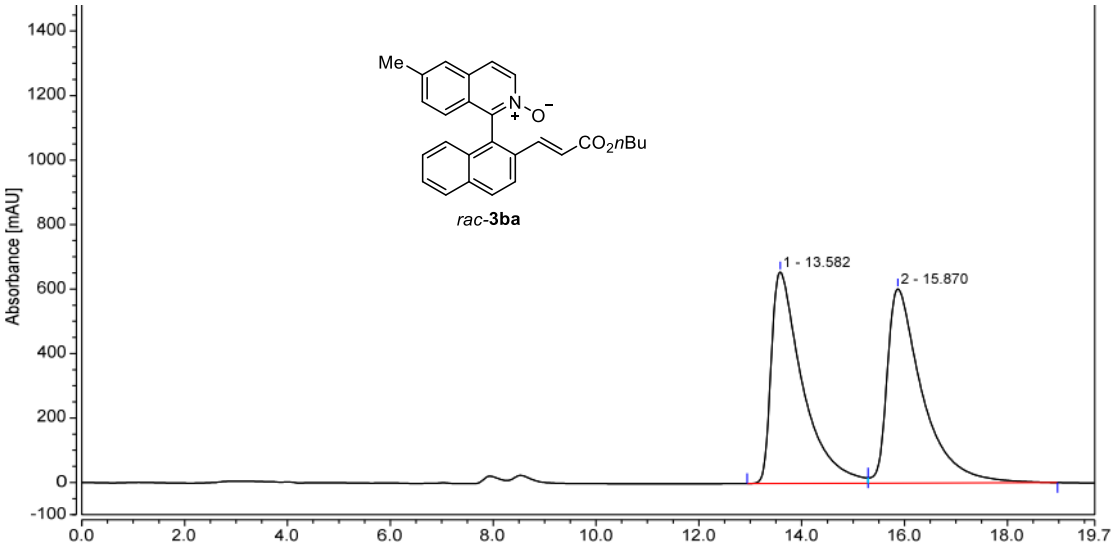
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	19.930	31.658	34.043	3.38	3.68
2	23.317	904.619	892.053	96.62	96.32
Total:		**936.277**	**926.096**	**100.00**	**100.00**



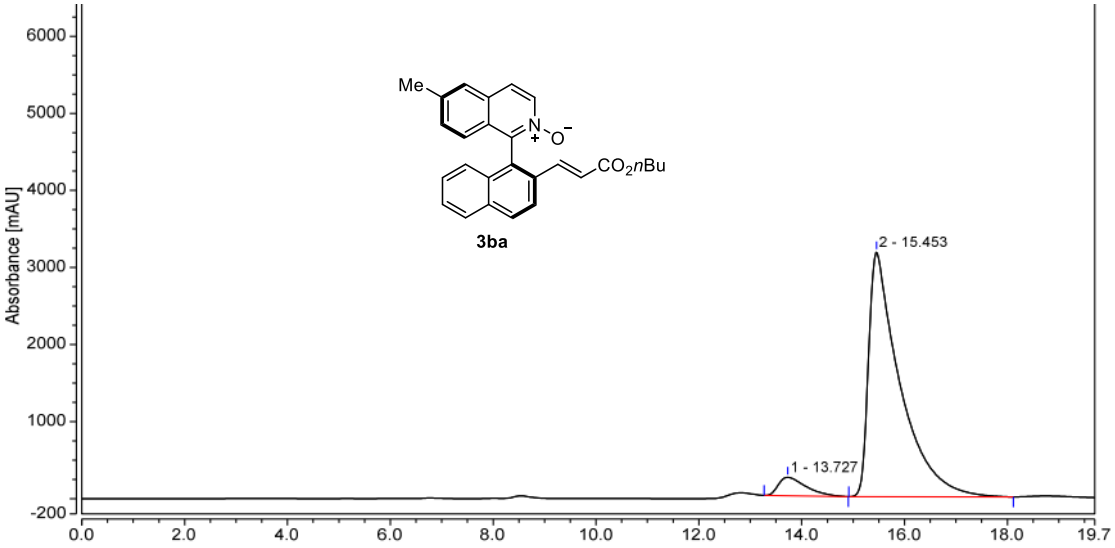
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.018	736.221	879.845	50.30	57.82
2	16.615	727.465	641.943	49.70	42.18
Total:		**1463.686**	**1521.788**	**100.00**	**100.00**



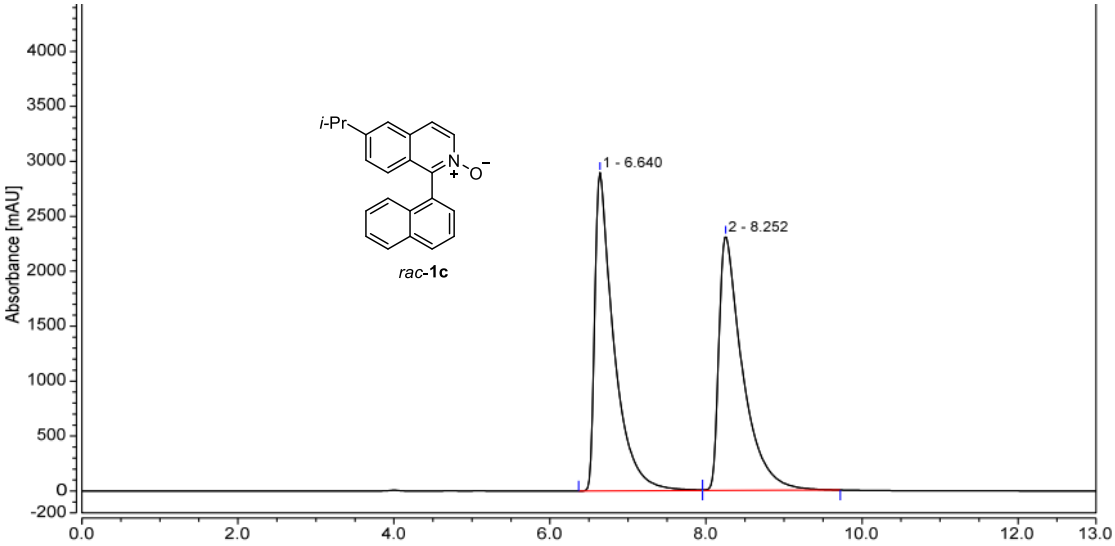
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.270	237.889	278.195	98.57	99.10
2	17.678	3.440	2.534	1.43	0.90
Total:		**241.329**	**280.729**	**100.00**	**100.00**



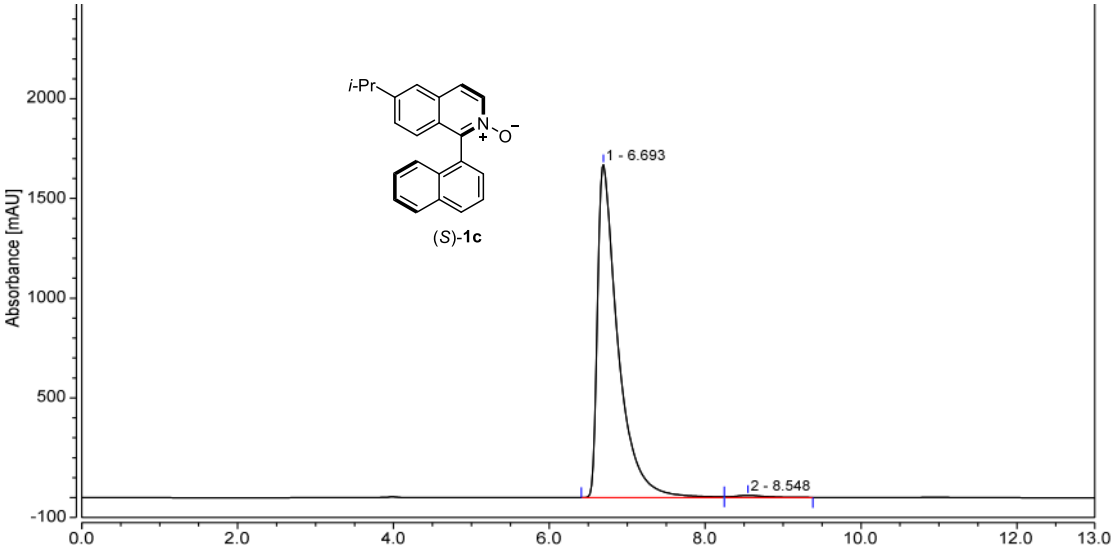
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.582	461.920	656.082	49.21	52.13
2	15.870	476.698	602.588	50.79	47.87
Total:		**938.619**	**1258.670**	**100.00**	**100.00**



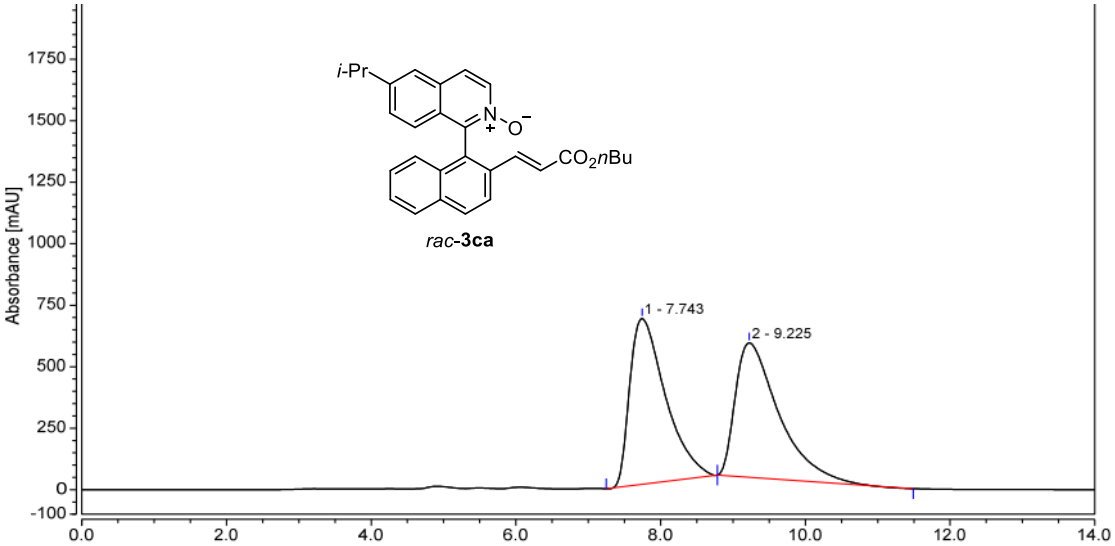
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.727	150.261	240.815	6.31	7.04
2	15.453	2231.265	3179.616	93.69	92.96
Total:		**2381.526**	**3420.431**	**100.00**	**100.00**



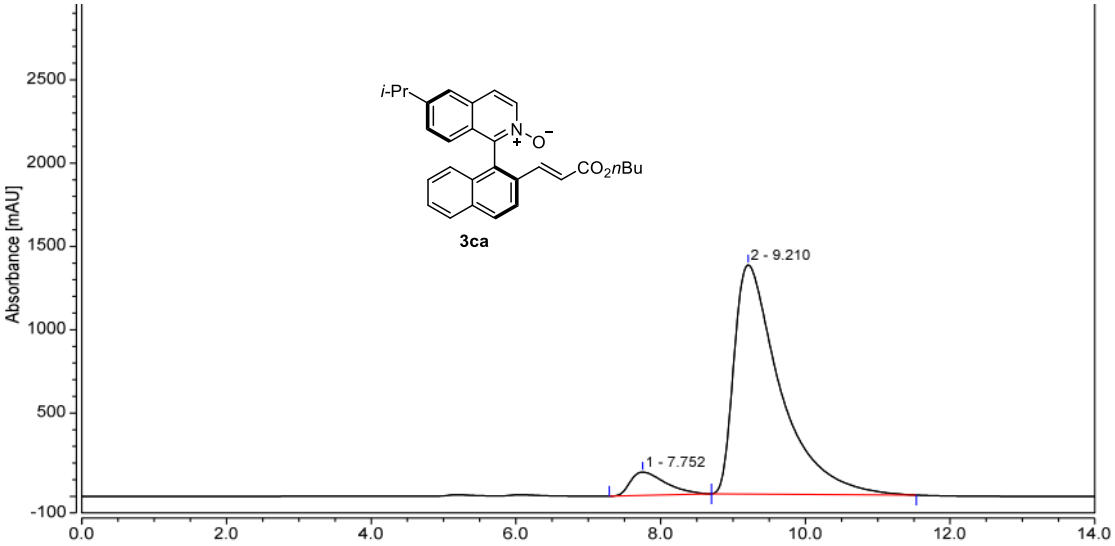
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	6.640	823.599	2898.515	50.34	55.61
2	8.252	812.409	2314.057	49.66	44.39
Total:		**1636.008**	**5212.573**	**100.00**	**100.00**



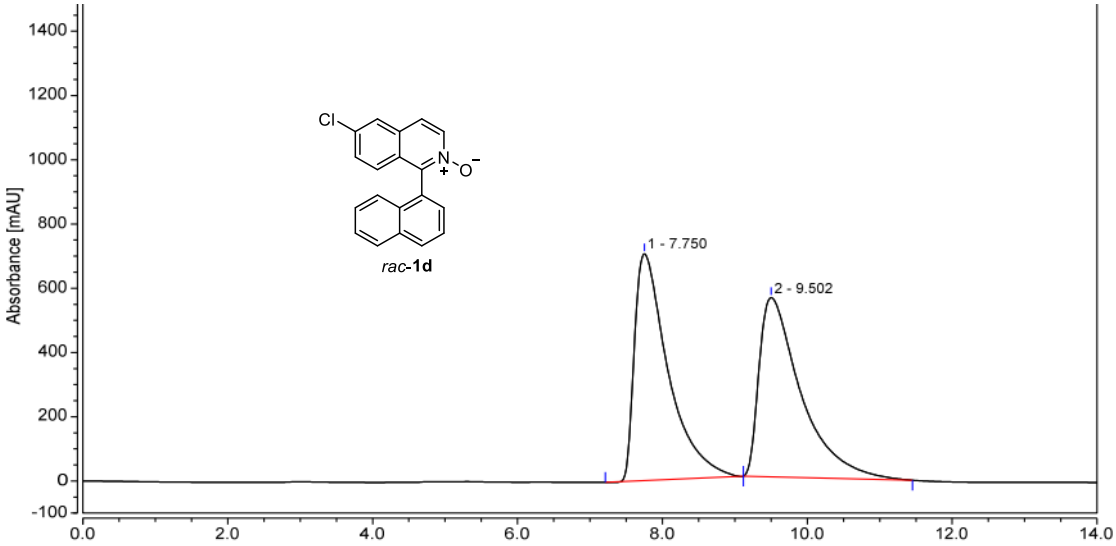
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	6.693	507.519	1669.123	99.07	99.38
2	8.548	4.740	10.473	0.93	0.62
Total:		**512.259**	**1679.596**	**100.00**	**100.00**



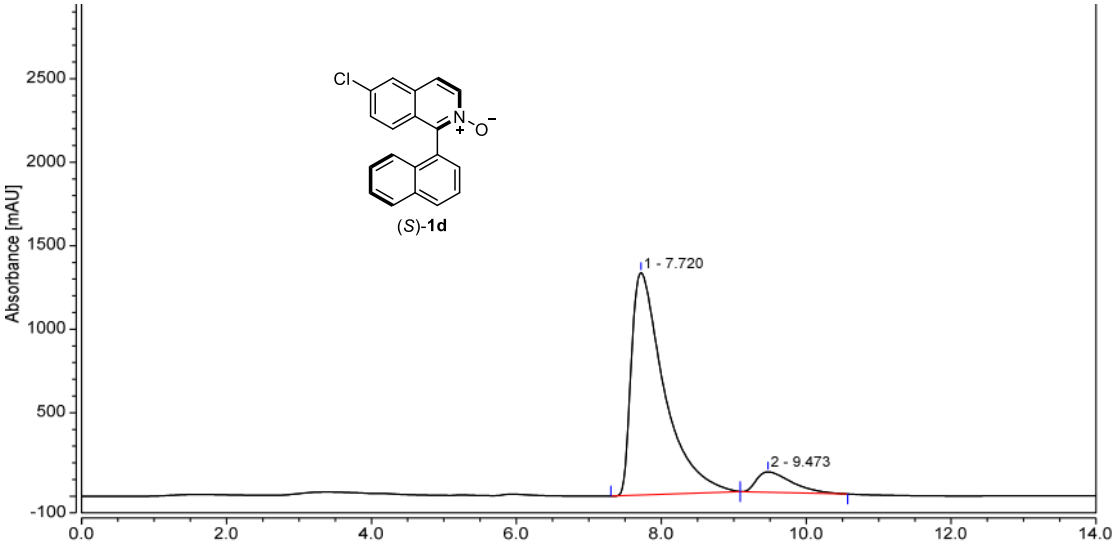
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.743	384.893	673.793	50.24	55.21
2	9.225	381.140	546.636	49.76	44.79
Total:		**766.032**	**1220.429**	**100.00**	**100.00**



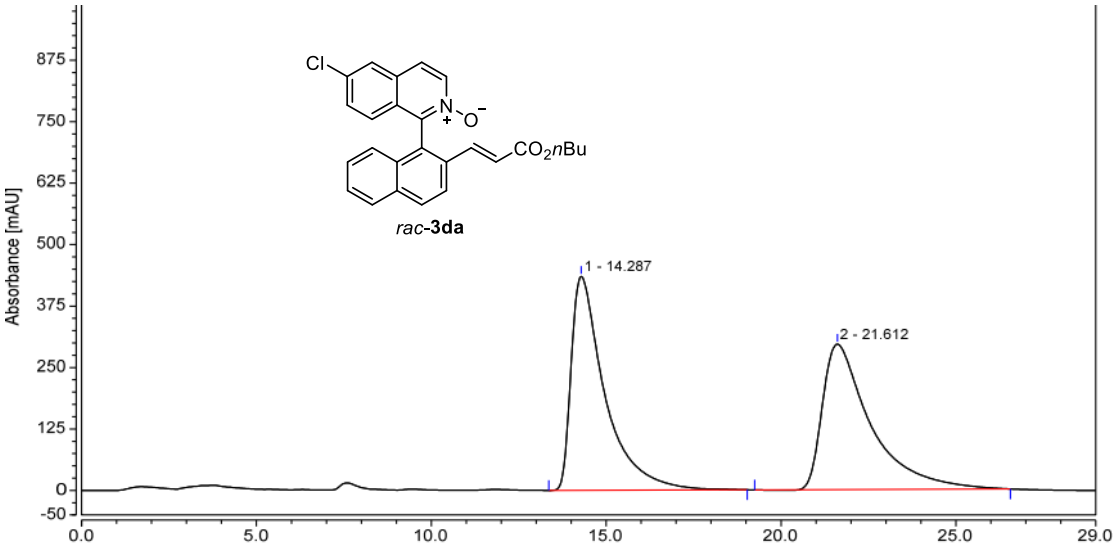
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.752	78.264	139.494	7.15	9.21
2	9.210	1016.256	1375.207	92.85	90.79
Total:		**1094.520**	**1514.701**	**100.00**	**100.00**



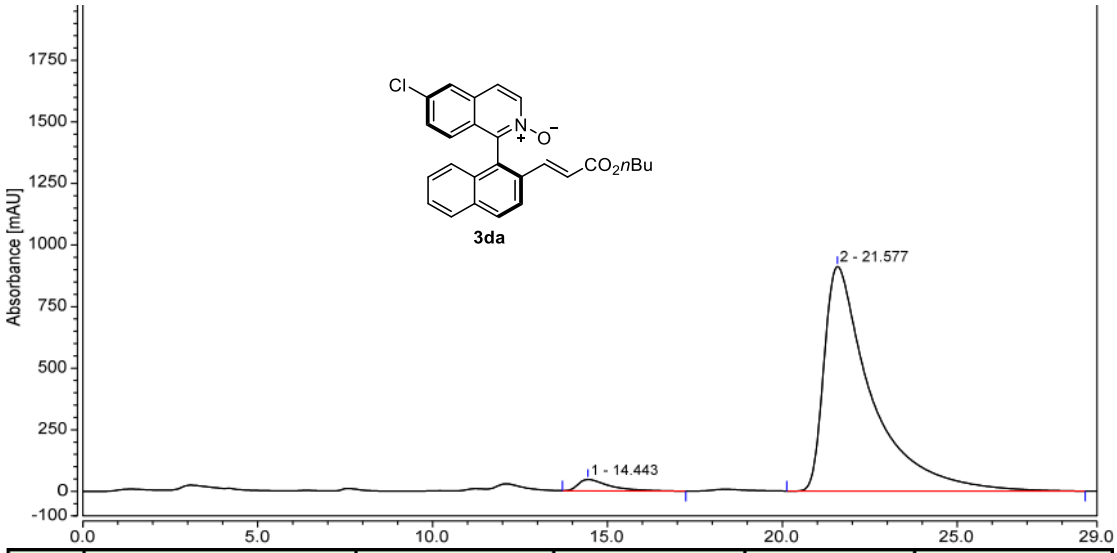
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.750	378.367	706.090	50.35	55.86
2	9.502	373.047	557.912	49.65	44.14
Total:		**751.414**	**1264.002**	**100.00**	**100.00**



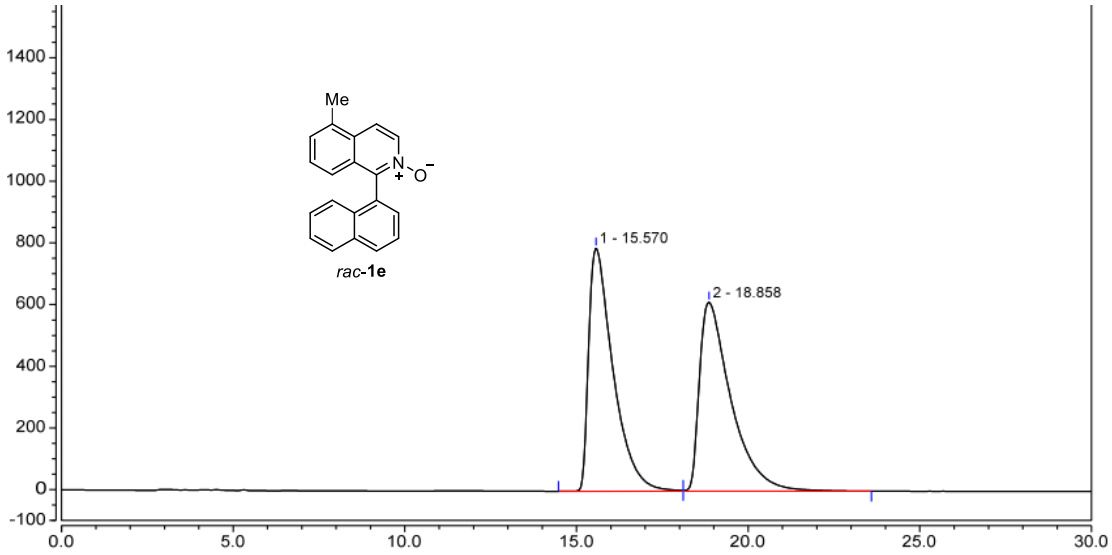
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.720	698.019	1331.556	91.01	91.63
2	9.473	68.954	121.611	8.99	8.37
Total:		**766.972**	**1453.167**	**100.00**	**100.00**



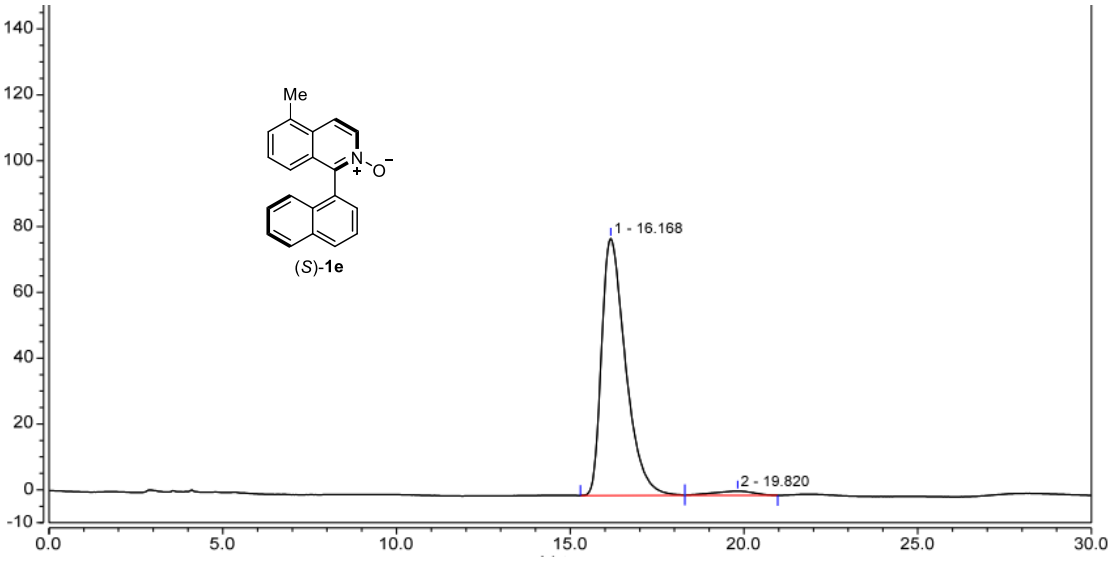
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.287	486.243	435.080	50.74	59.52
2	21.612	472.063	295.908	49.26	40.48
Total:		**958.306**	**730.988**	**100.00**	**100.00**



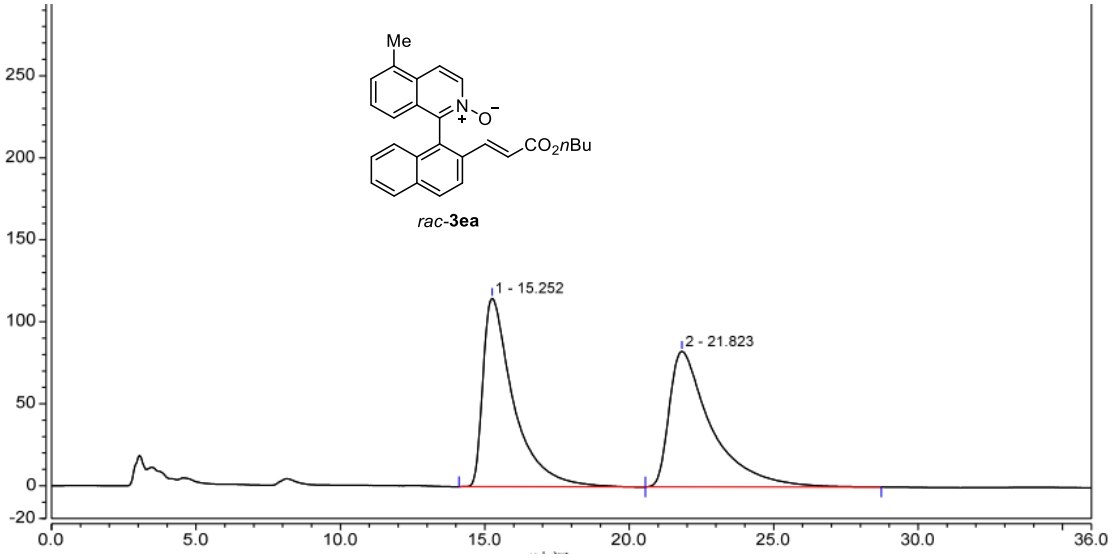
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.443	47.207	46.141	3.19	4.82
2	21.577	1431.357	911.823	96.81	95.18
Total:		**1478.564**	**957.964**	**100.00**	**100.00**



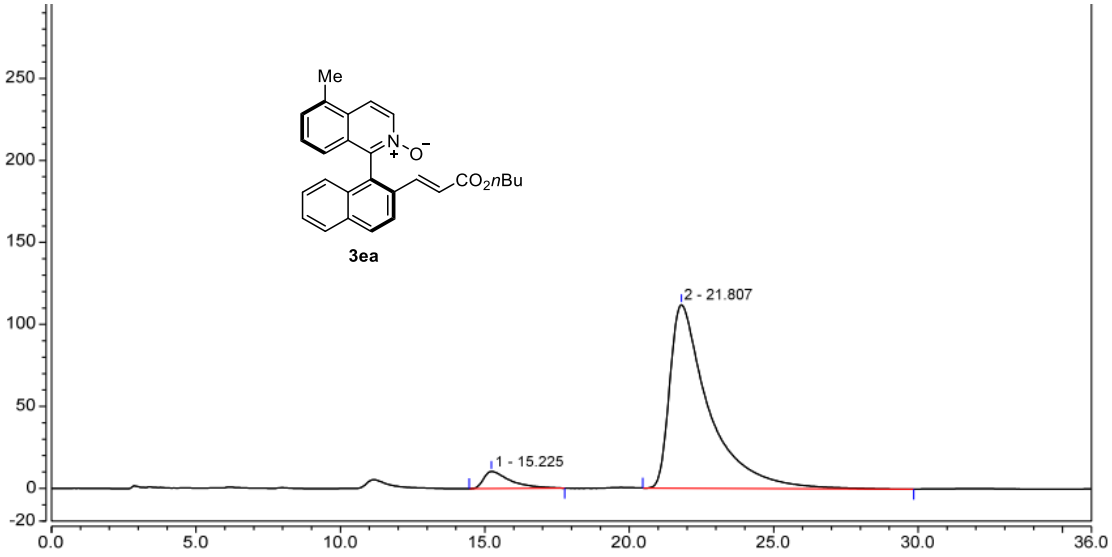
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	15.570	650.705	788.504	49.93	56.25
2	18.858	652.429	613.279	50.07	43.75
Total:		**1303.134**	**1401.783**	**100.00**	**100.00**



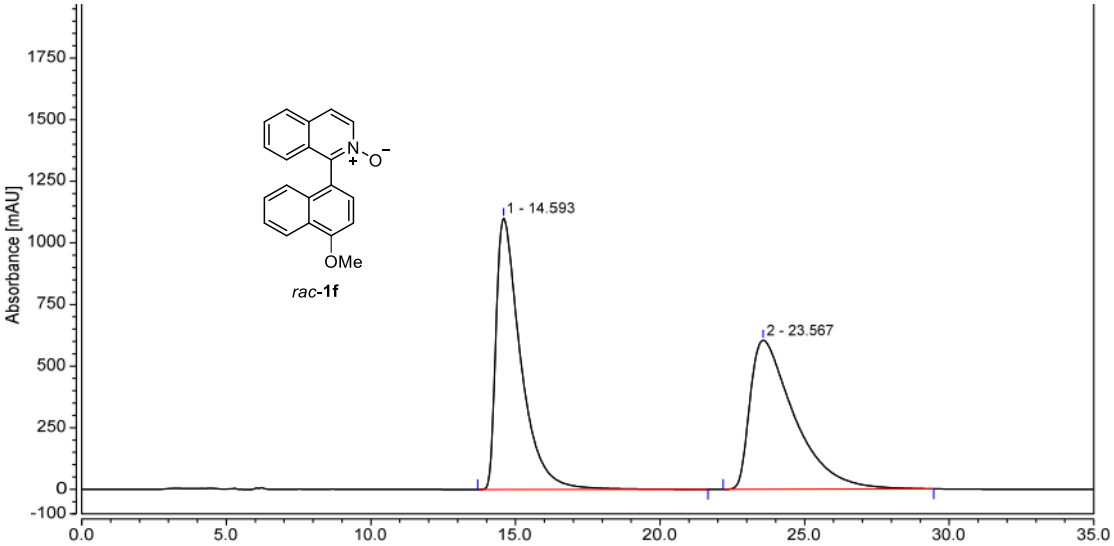
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	16.168	62.414	78.088	97.25	98.36
2	19.820	1.763	1.301	2.75	1.64
Total:		**64.177**	**79.389**	**100.00**	**100.00**



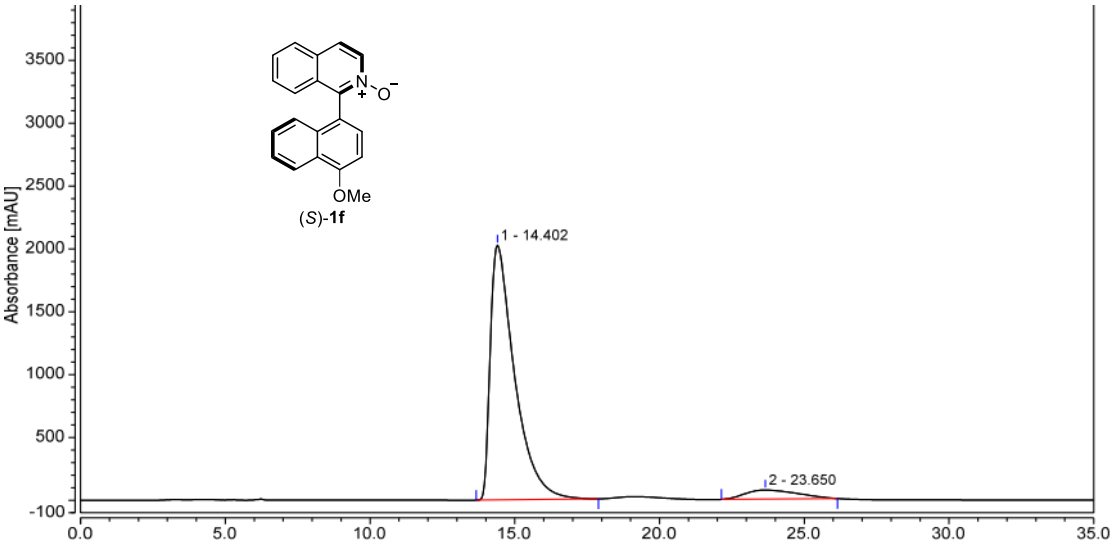
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	15.252	140.782	114.892	50.17	58.15
2	21.823	139.807	82.687	49.83	41.85
Total:		**280.589**	**197.578**	**100.00**	**100.00**



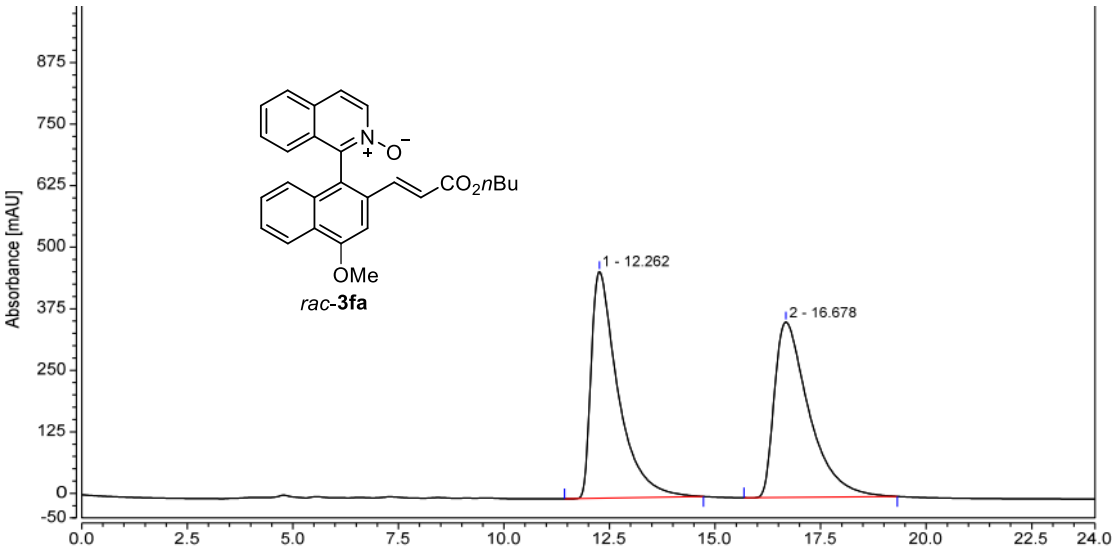
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	15.225	11.297	10.485	6.04	8.57
2	21.807	175.634	111.846	93.96	91.43
Total:		**186.932**	**122.331**	**100.00**	**100.00**



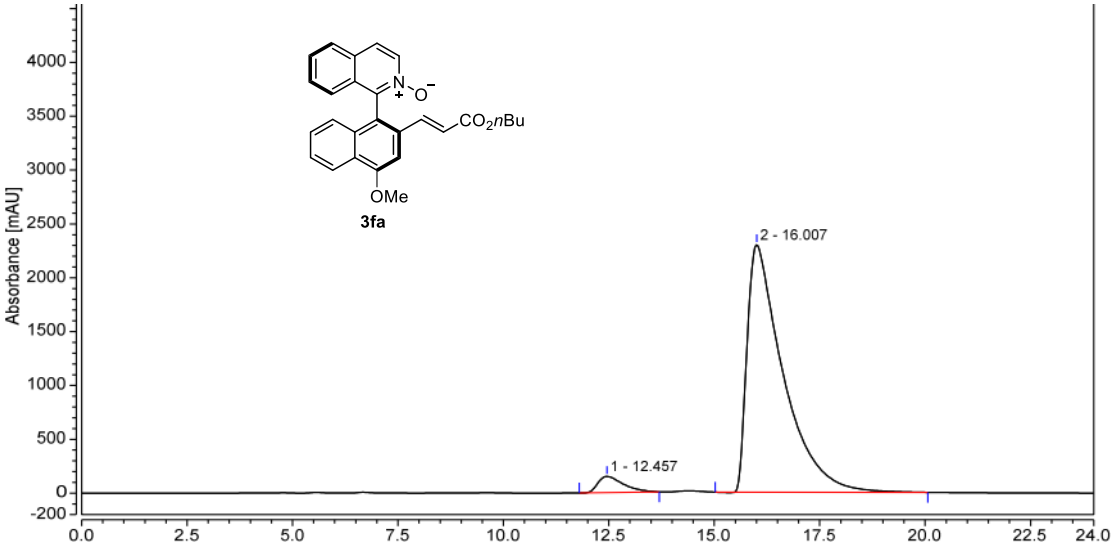
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.593	1088.349	1100.690	50.32	64.54
2	23.567	1074.534	604.684	49.68	35.46
Total:		**2162.883**	**1705.374**	**100.00**	**100.00**



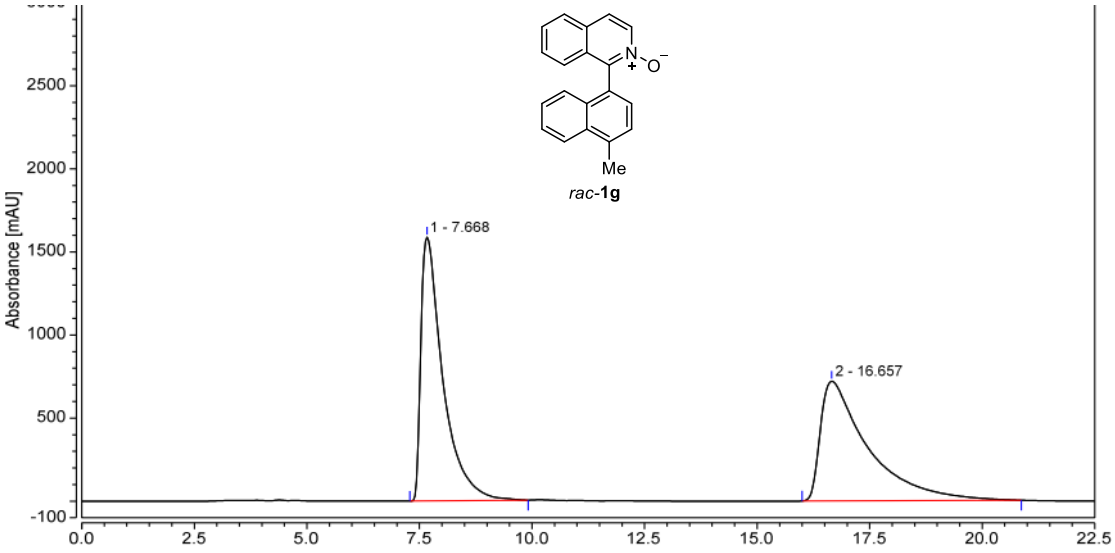
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.402	1975.980	2028.654	92.95	96.58
2	23.650	149.900	71.849	7.05	3.42
Total:		**2125.881**	**2100.503**	**100.00**	**100.00**



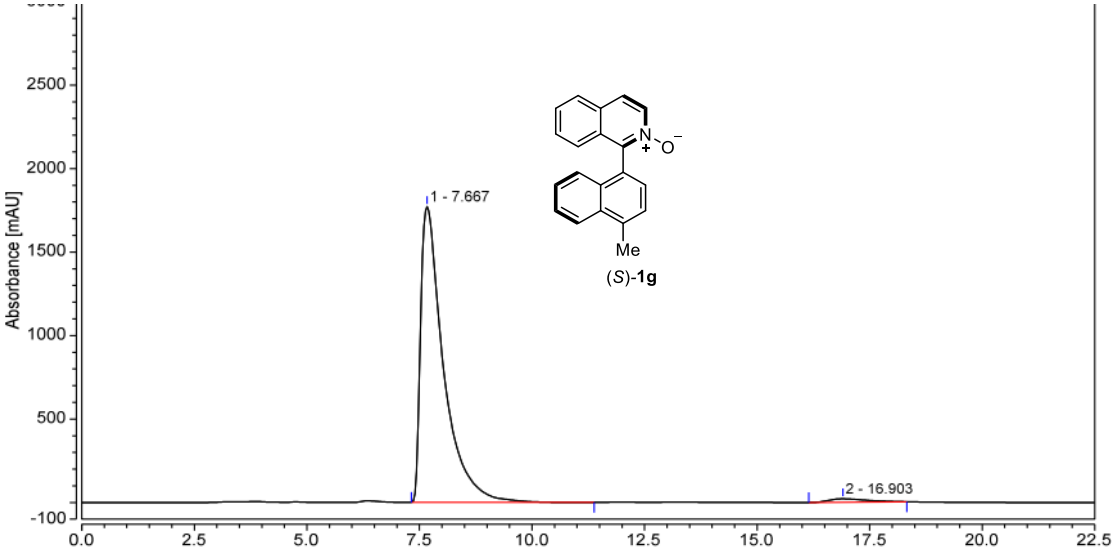
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.262	339.644	460.577	50.09	56.35
2	16.678	338.440	356.723	49.91	43.65
Total:		**678.084**	**817.299**	**100.00**	**100.00**



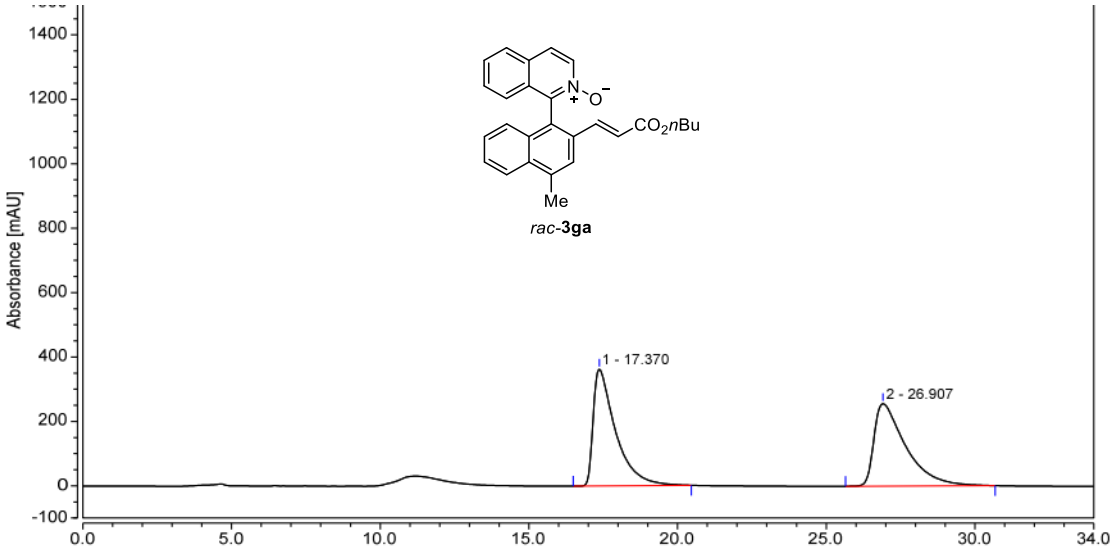
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.457	105.416	150.447	4.43	6.14
2	16.007	2272.511	2298.769	95.57	93.86
Total:		**2377.927**	**2449.216**	**100.00**	**100.00**



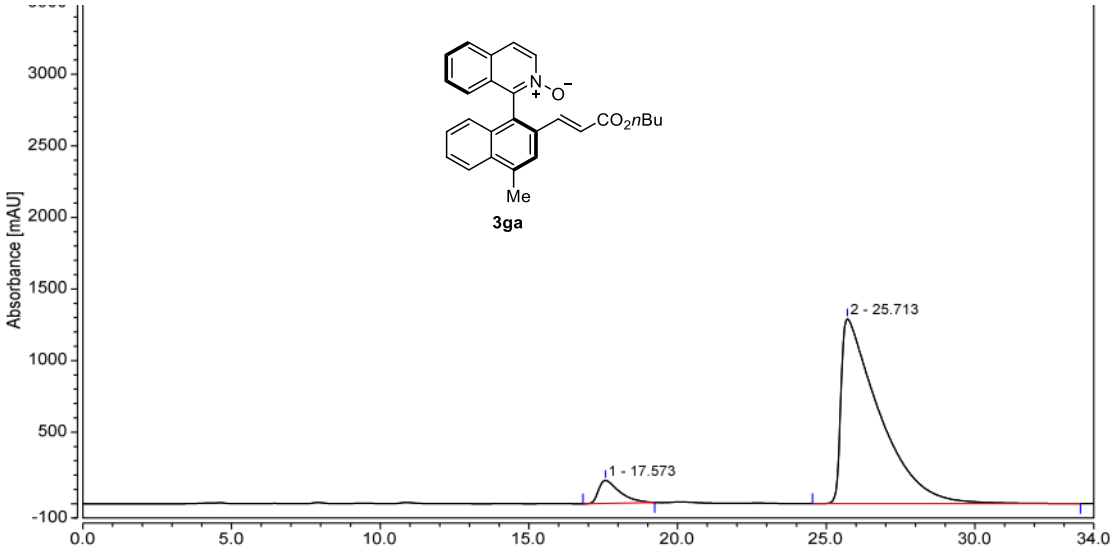
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.668	899.817	1587.972	50.49	68.78
2	16.657	882.451	720.847	49.51	31.22
Total:		**1782.269**	**2308.819**	**100.00**	**100.00**



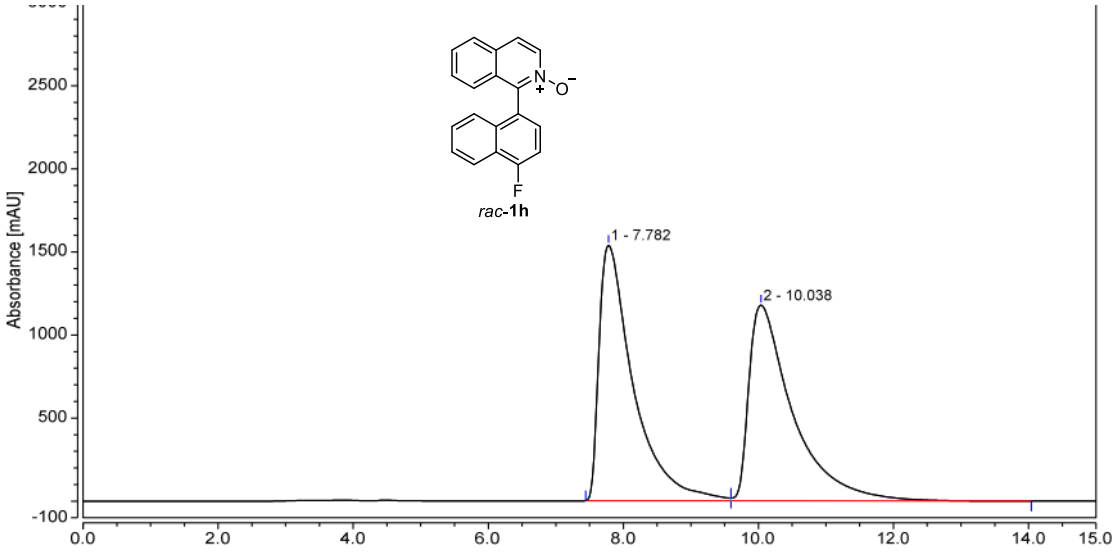
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.667	1045.206	1771.075	98.16	98.87
2	16.903	19.613	20.300	1.84	1.13
Total:		**1064.819**	**1791.375**	**100.00**	**100.00**



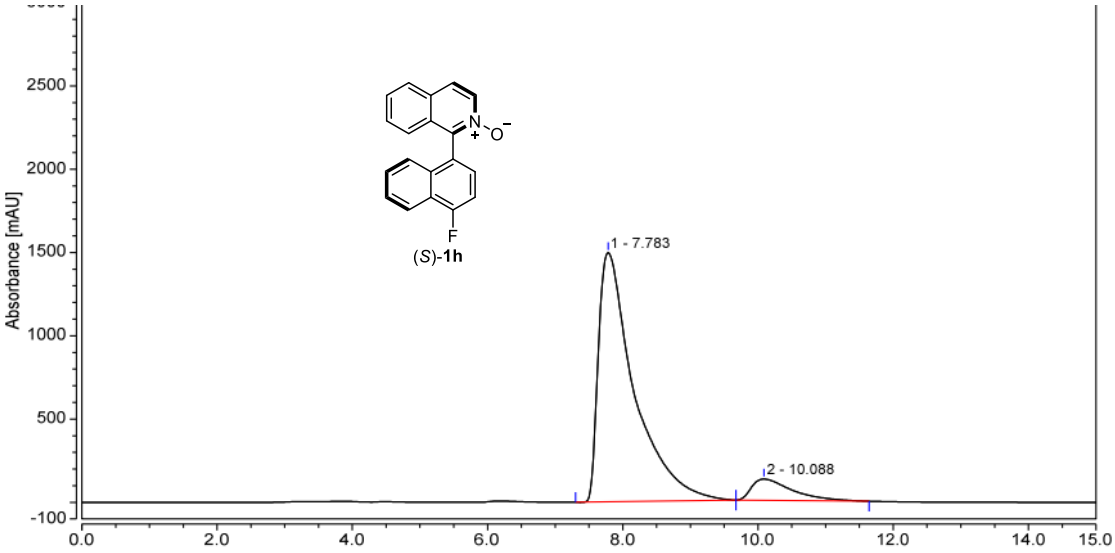
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	17.370	316.176	362.652	49.98	58.60
2	26.907	316.431	256.194	50.02	41.40
Total:		**632.606**	**618.846**	**100.00**	**100.00**



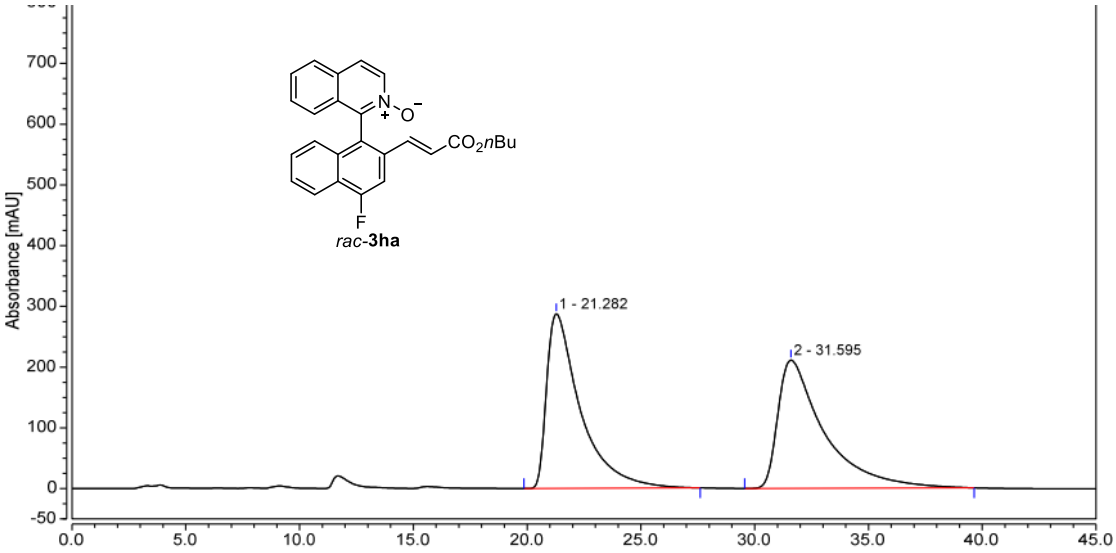
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	17.573	130.764	159.503	6.34	11.00
2	25.713	1932.438	1290.584	93.66	89.00
Total:		**2063.202**	**1450.087**	**100.00**	**100.00**



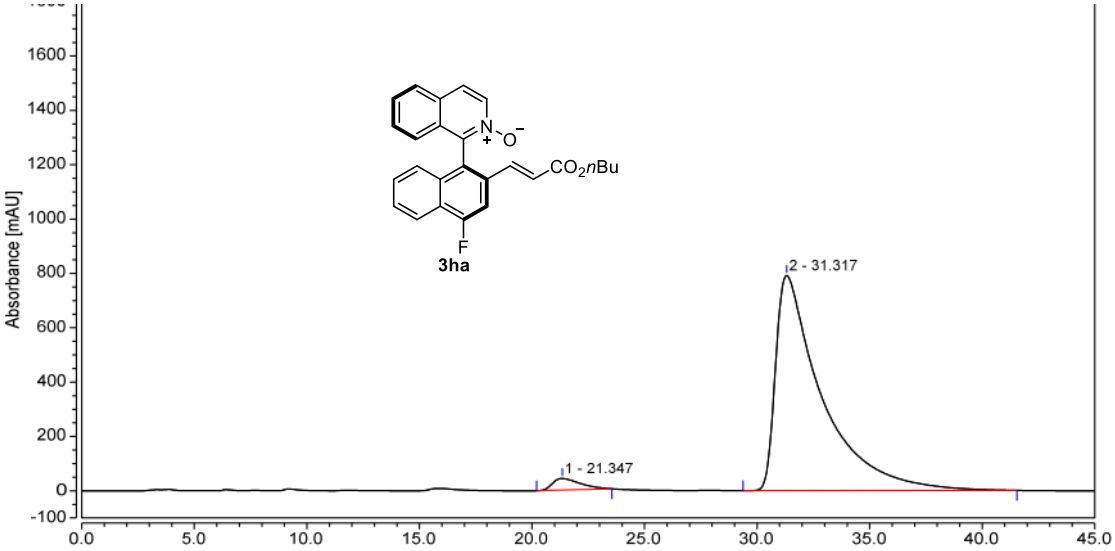
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.782	901.361	1537.625	50.46	56.62
2	10.038	884.790	1178.019	49.54	43.38
Total:		**1786.150**	**2715.643**	**100.00**	**100.00**



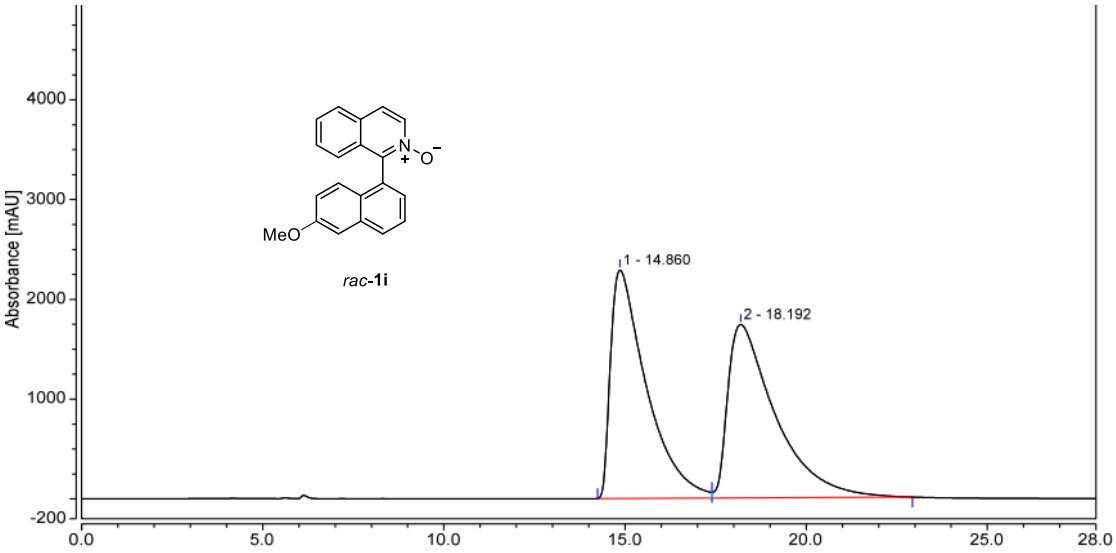
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.783	913.693	1497.298	91.11	92.12
2	10.088	89.198	128.137	8.89	7.88
Total:		**1002.892**	**1625.435**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	21.282	494.006	287.548	49.90	57.66
2	31.595	495.955	211.179	50.10	42.34
Total:		**989.960**	**498.727**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	21.347	61.262	42.610	3.15	5.11
2	31.317	1885.876	791.565	96.85	94.89
Total:		**1947.138**	**834.175**	**100.00**	**100.00**



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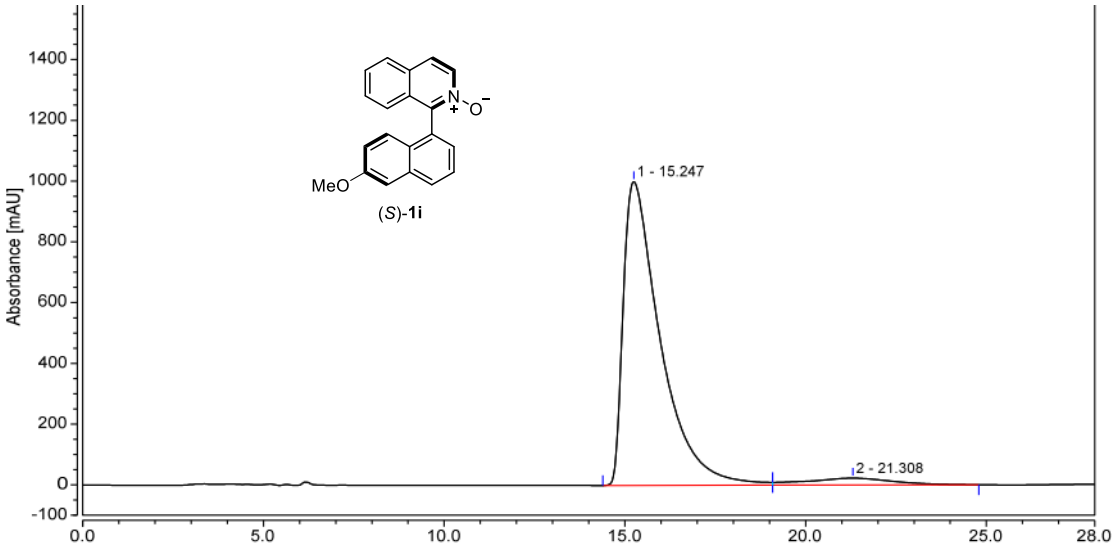
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.860	2624.551	2292.203	49.77	56.86
2	18.192	2649.225	1738.885	50.23	43.14
Total:		**5273.776**	**4031.088**	**100.00**	**100.00**



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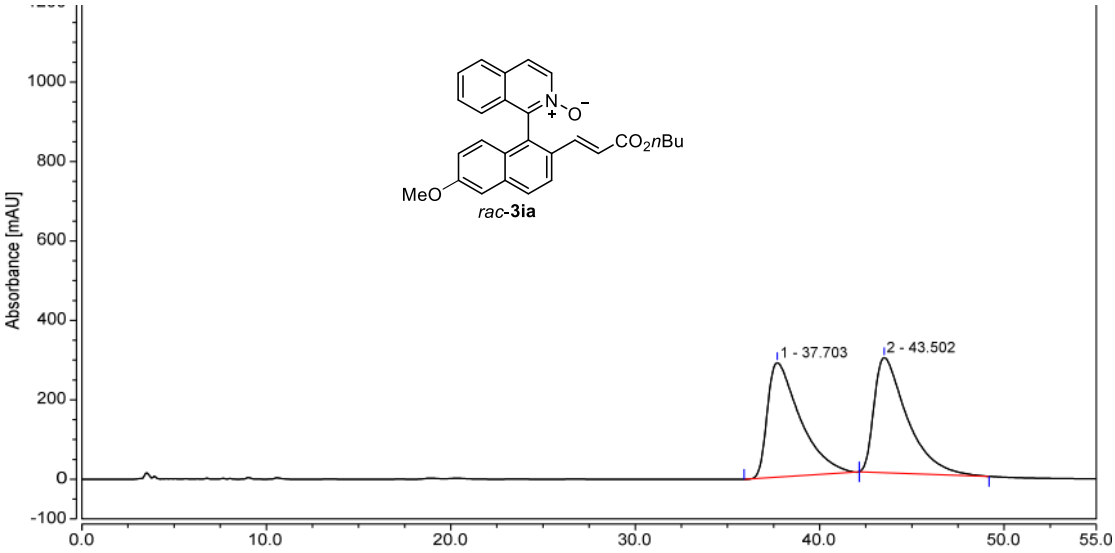
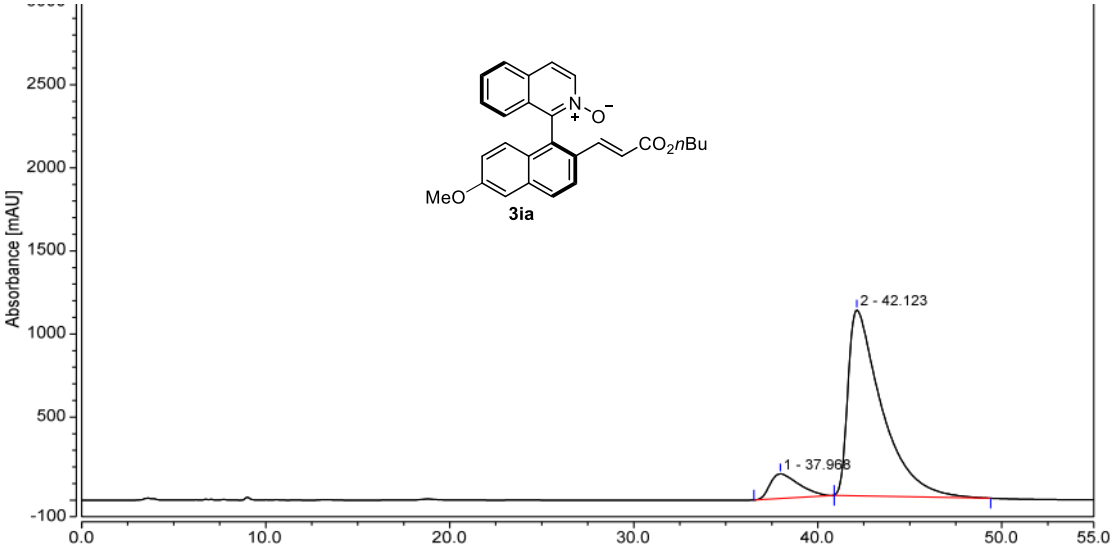
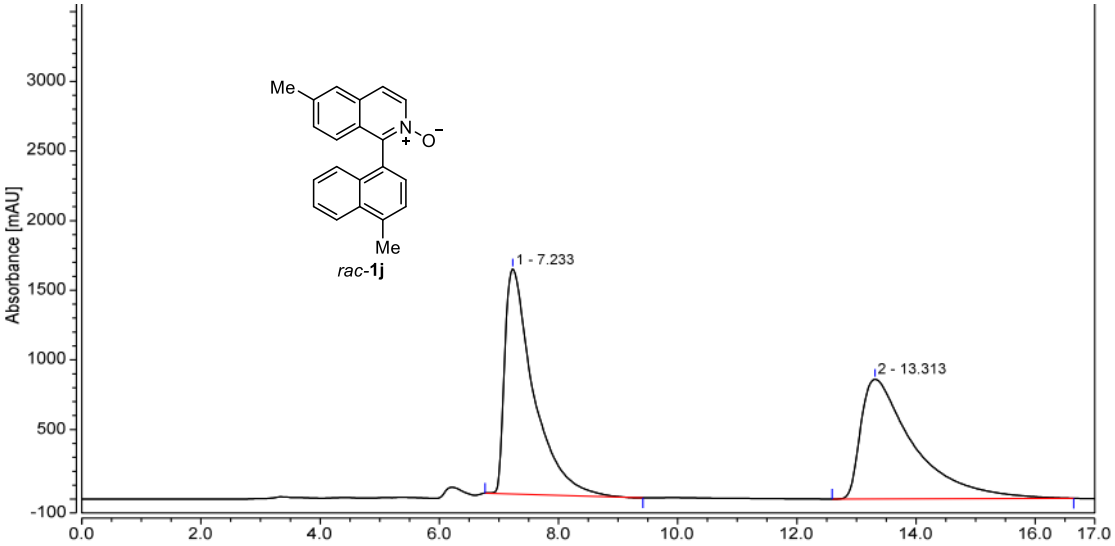
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	15.247	1188.173	1000.062	95.00	97.78
2	21.308	62.535	22.672	5.00	2.22
Total:		**1250.708**	**1022.733**	**100.00**	**100.00**



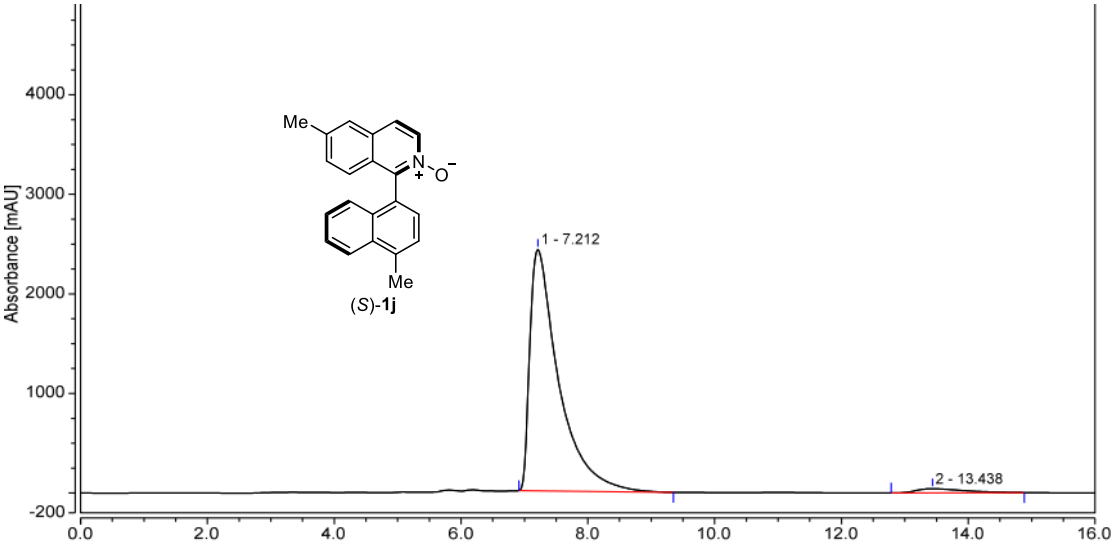
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	37.703	590.975	288.004	49.55	49.85
2	43.502	601.615	289.703	50.45	50.15
Total:		**1192.591**	**577.707**	**100.00**	**100.00**



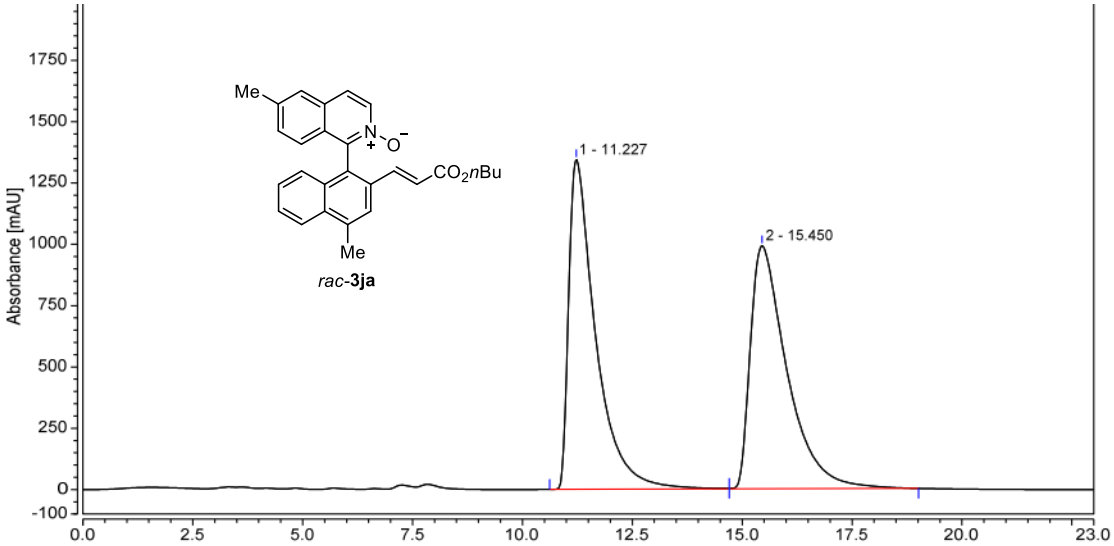
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	37.968	265.932	147.588	10.06	11.67
2	42.123	2378.489	1117.331	89.94	88.33
Total:		**2644.420**	**1264.919**	**100.00**	**100.00**



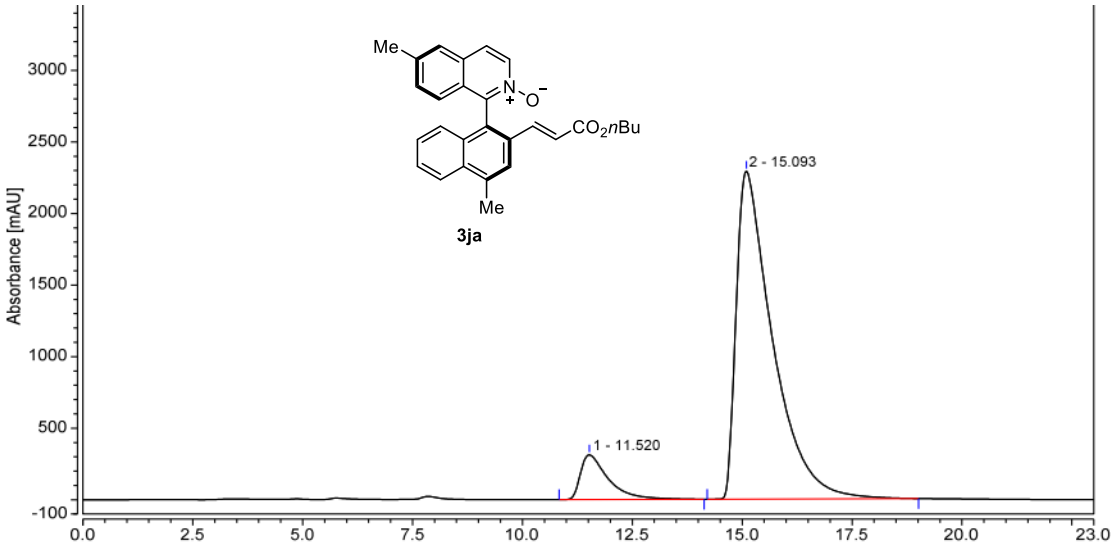
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.233	905.629	1616.137	50.70	65.28
2	13.313	880.550	859.399	49.30	34.72
Total:		**1786.179**	**2475.536**	**100.00**	**100.00**



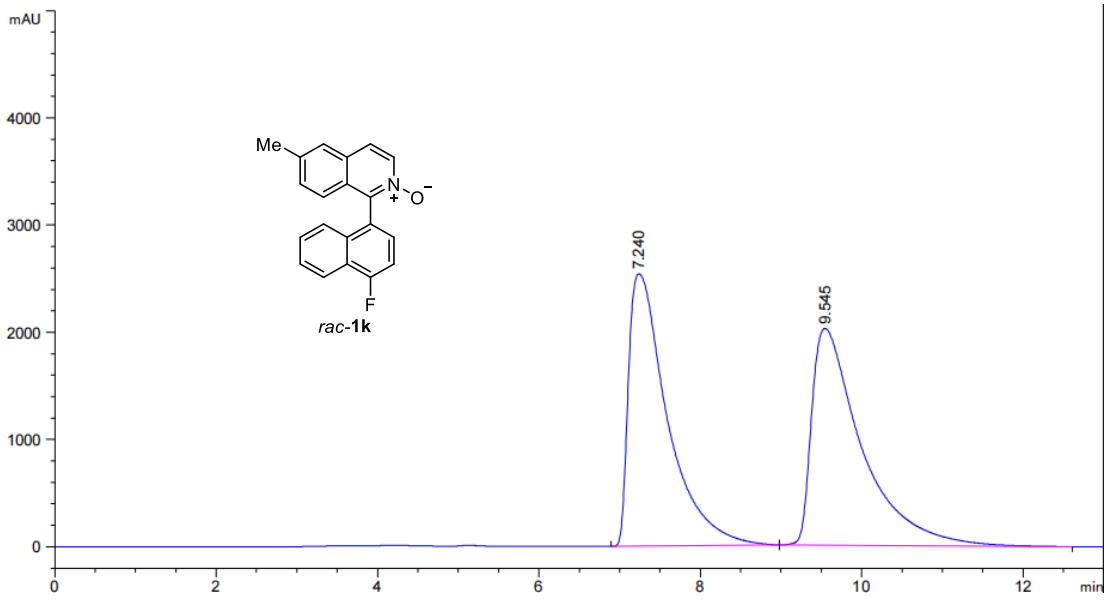
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	7.212	1275.780	2424.247	97.24	98.32
2	13.438	36.261	41.411	2.76	1.68
Total:		**1312.041**	**2465.657**	**100.00**	**100.00**



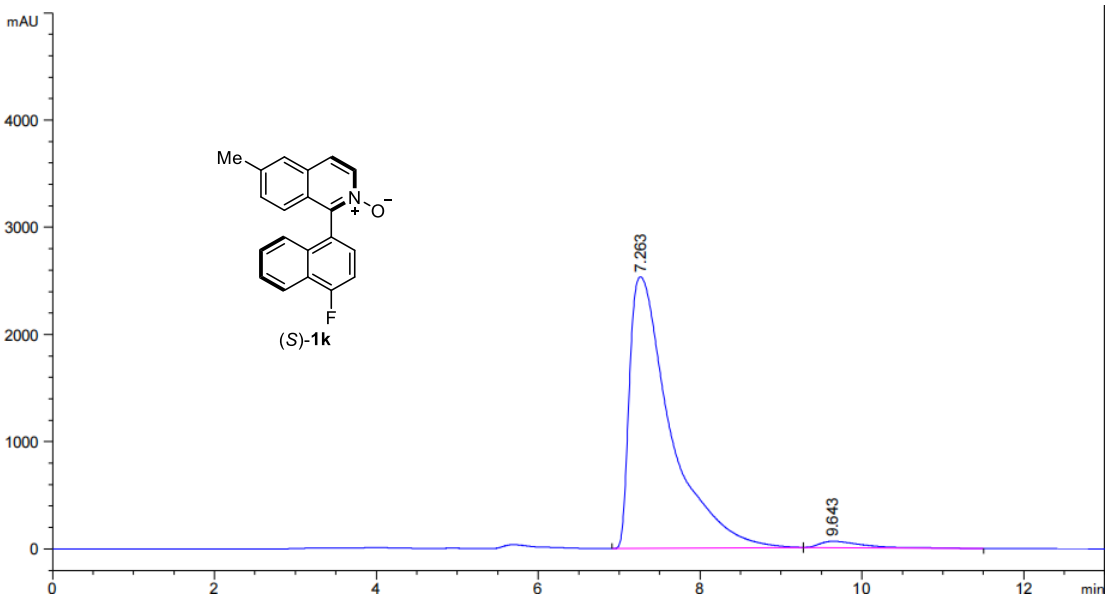
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	11.227	955.020	1344.670	49.96	57.57
2	15.450	956.372	990.929	50.04	42.43
Total:		**1911.392**	**2335.599**	**100.00**	**100.00**



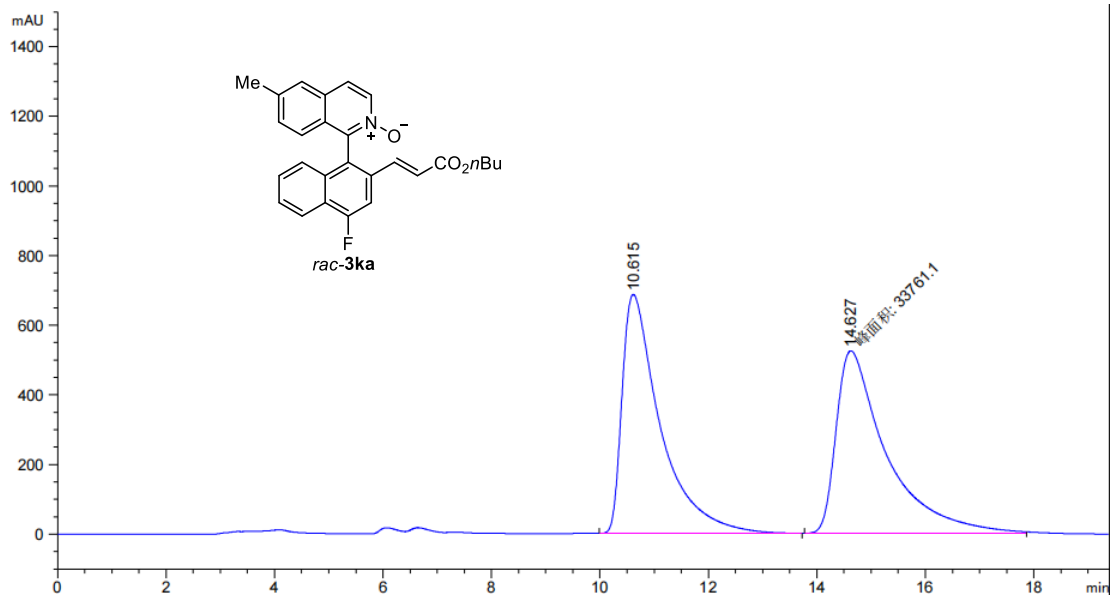
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	11.520	229.896	312.863	9.49	12.01
2	15.093	2193.224	2291.900	90.51	87.99
Total:		**2423.120**	**2604.763**	**100.00**	**100.00**



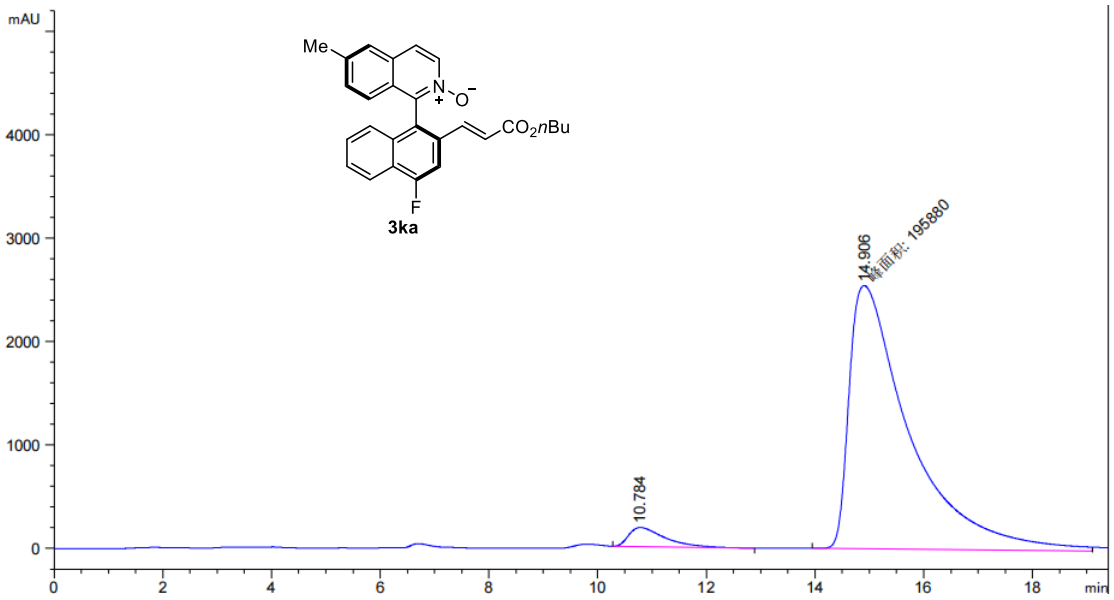
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.240	BB	0.4973	8.48346e4	2541.26807	49.4083
2	9.545	BBA	0.6250	8.68664e4	2022.54419	50.5917



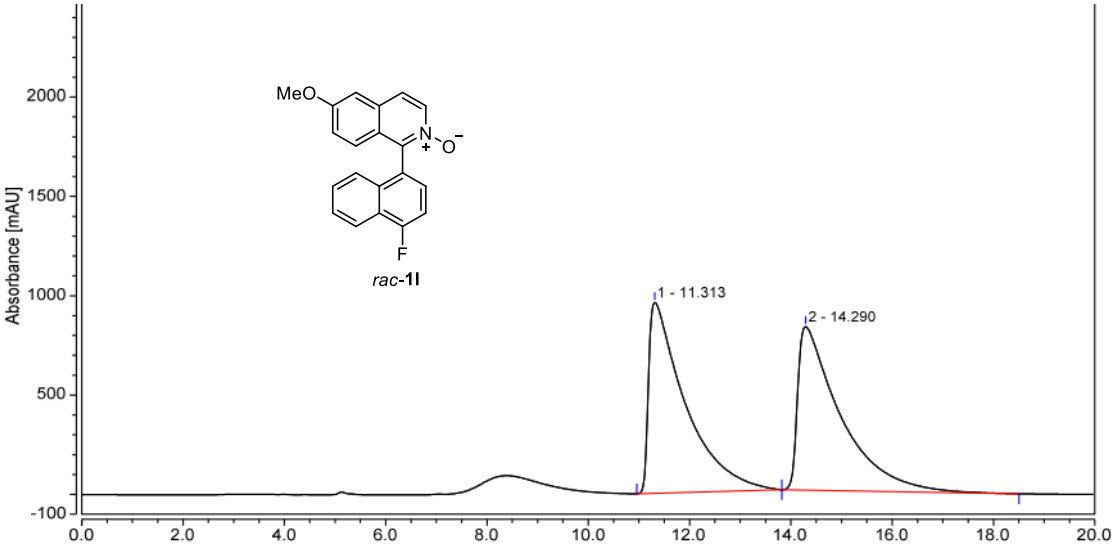
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.263	BB	0.5165	8.90464e4	2533.21924	97.6123
2	9.643	BB	0.5490	2178.17139	58.77148	2.3877



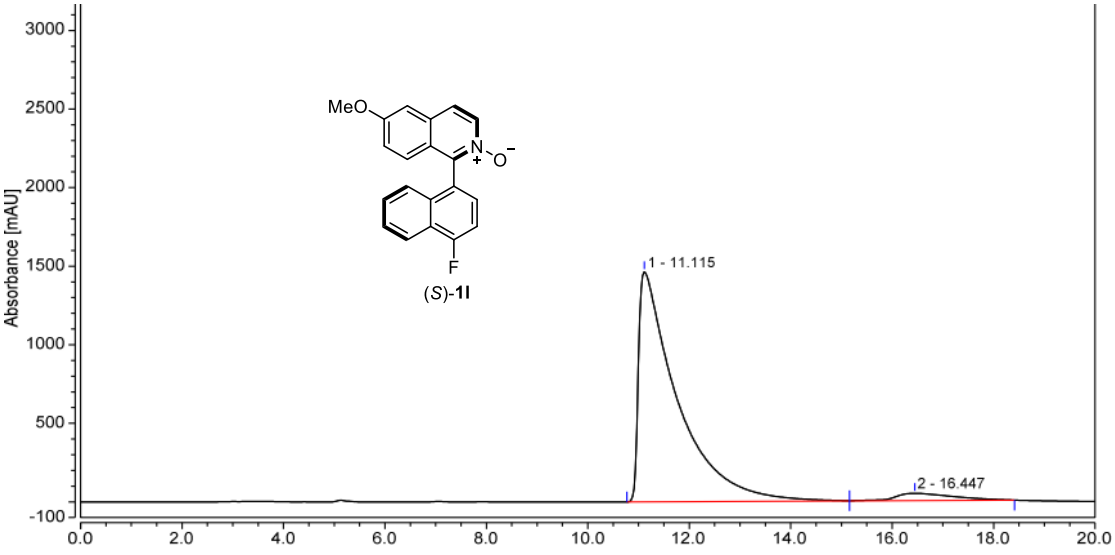
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.615	BB	0.7128	3.35137e4	686.08057	49.8161
2	14.627	MM	1.0728	3.37611e4	524.48871	50.1839



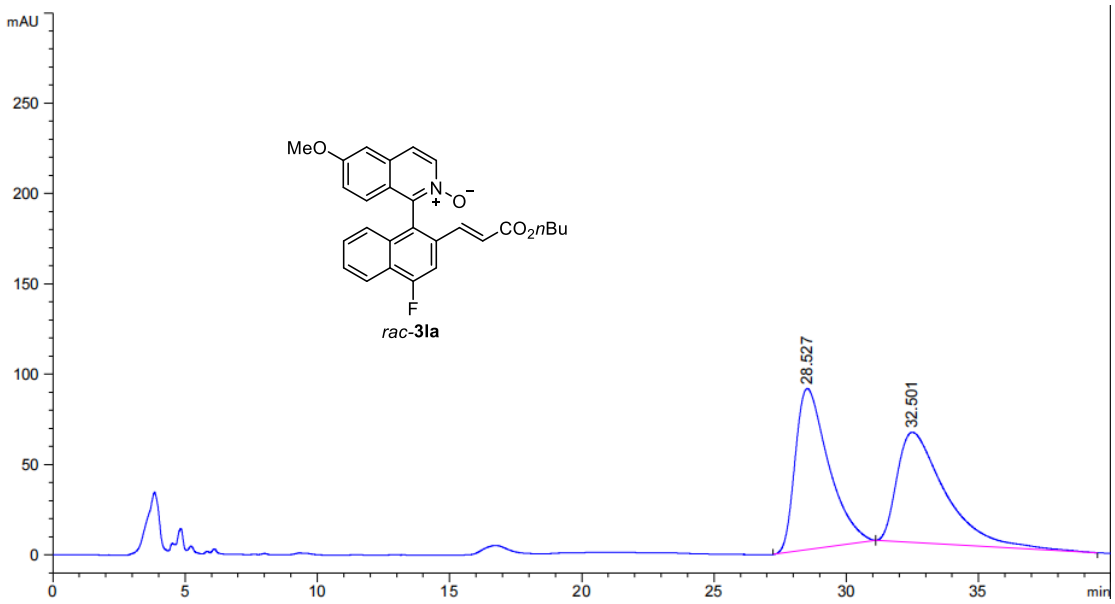
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.784	BB	0.6892	8552.08398	185.08560	4.1833
2	14.906	MM	1.2842	1.95880e5	2542.13550	95.8167



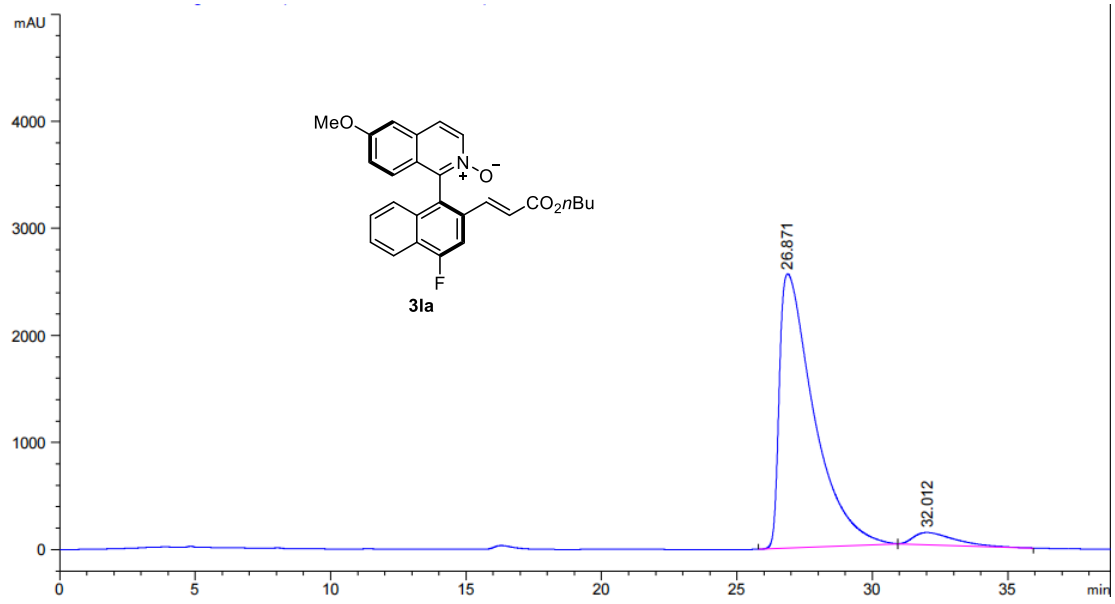
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	11.313	800.605	960.695	50.04	53.85
2	14.290	799.416	823.228	49.96	46.15
Total:		**1600.021**	**1783.923**	**100.00**	**100.00**



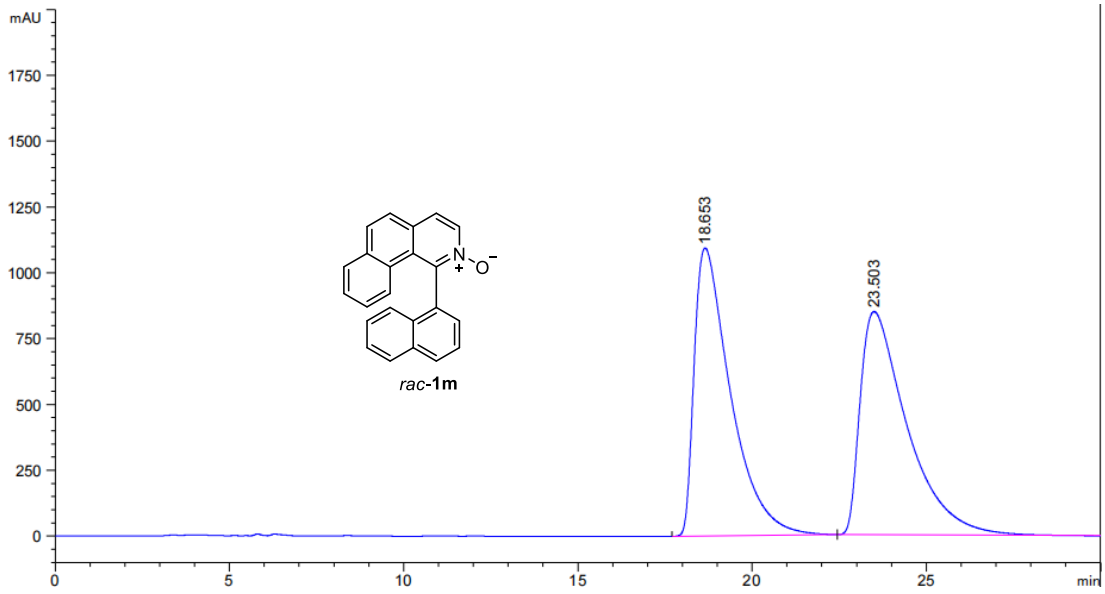
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	11.115	1290.868	1465.021	95.43	96.94
2	16.447	61.888	46.265	4.57	3.06
Total:		**1352.756**	**1511.286**	**100.00**	**100.00**



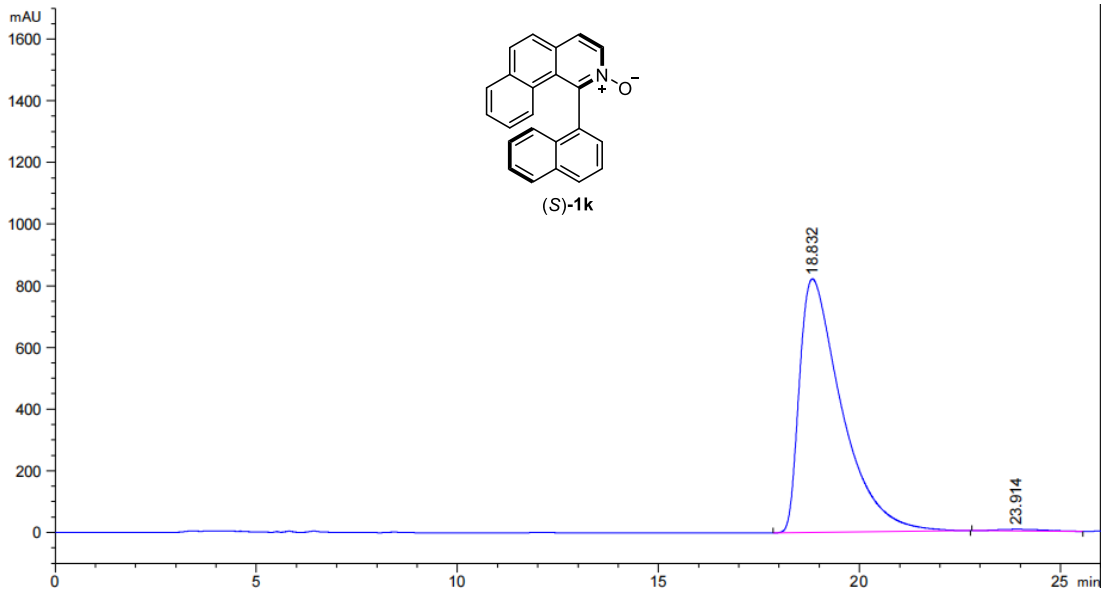
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	28.527	BB	1.3107	7798.38135	89.27493	50.3778
2	32.501	BB	1.7655	7681.41699	60.97953	49.6222



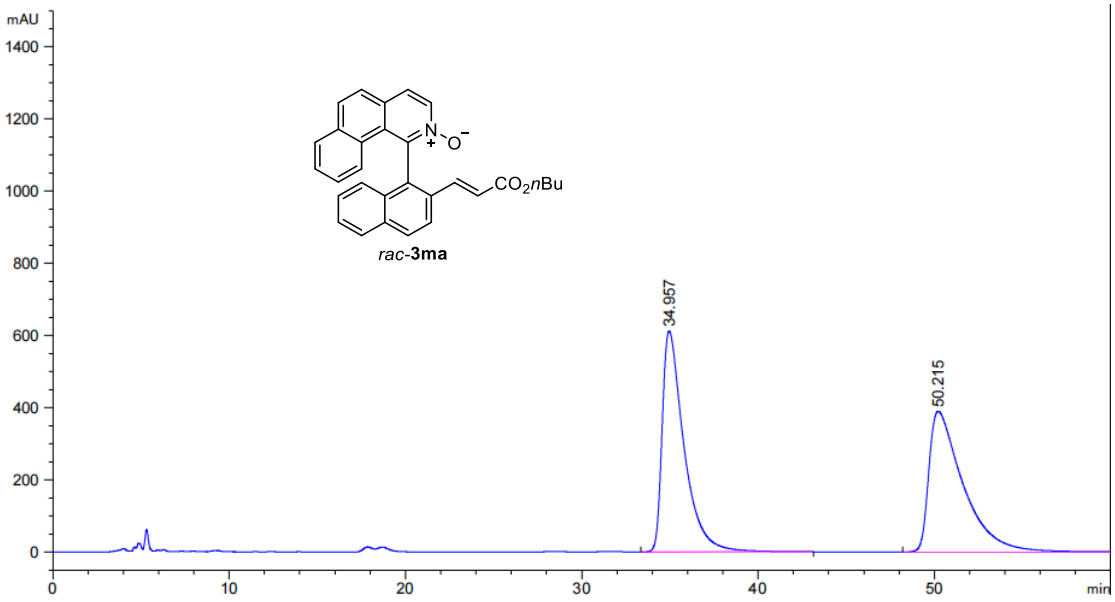
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	26.871	BB	1.2127	2.25932e5	2561.47778	95.0271
2	32.012	BBA	1.5541	1.18233e4	113.04312	4.9729



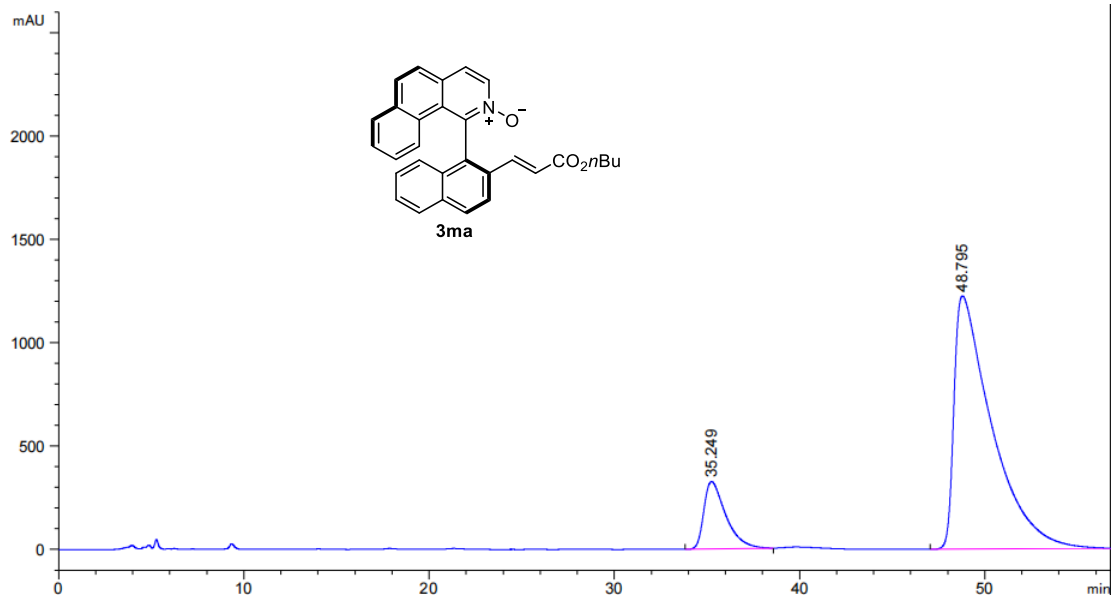
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.653	BB	1.0735	7.93289e4	1093.89624	49.9331
2	23.503	BBA	1.3799	7.95414e4	848.07874	50.0669



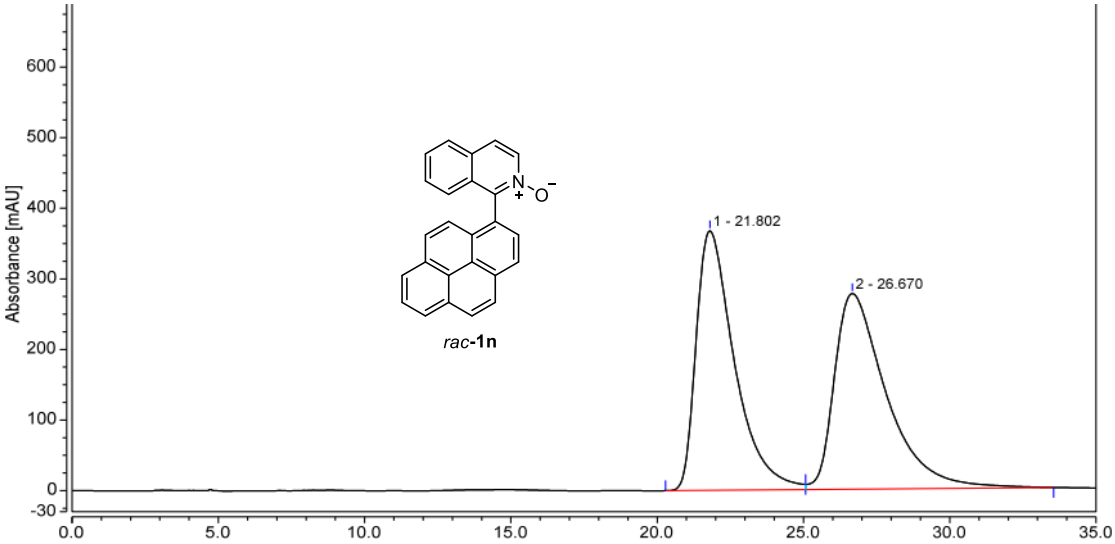
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	18.832	BB	1.0838	6.00315e4	822.00616	99.2638
2	23.914	BB	0.9801	445.22940	5.35582	0.7362



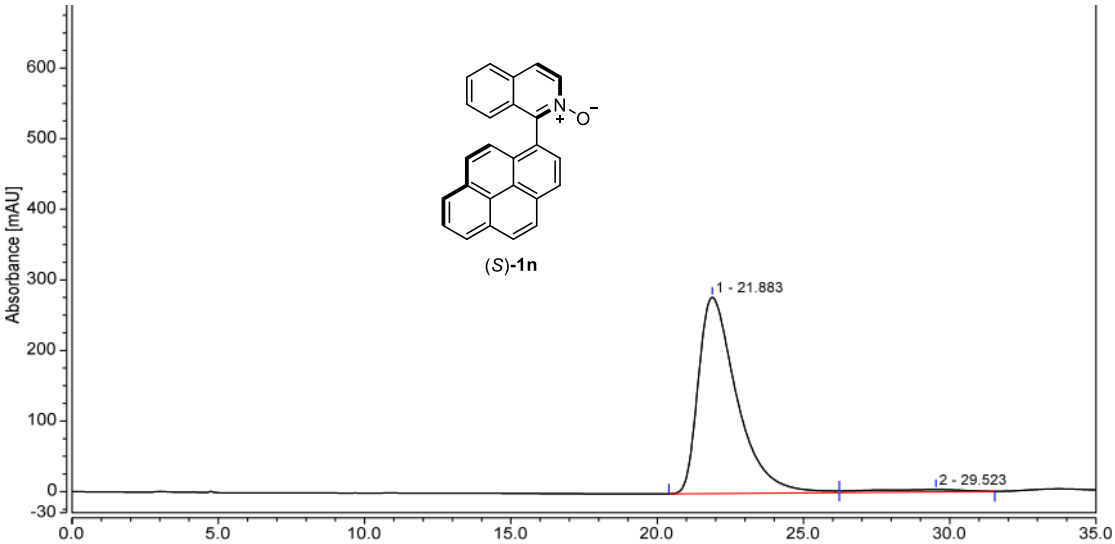
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	34.957	BB	1.2431	5.26861e4	612.17279	50.0602
2	50.215	BB	1.8795	5.25593e4	389.73456	49.9398



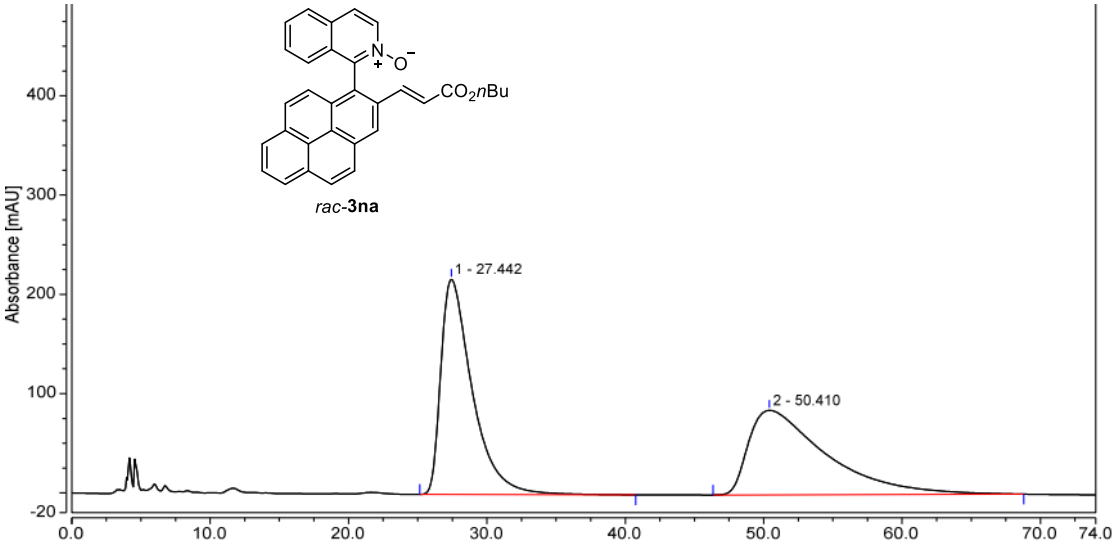
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	35.249	BB	1.2270	2.68169e4	326.29929	13.3772
2	48.795	BBA	1.9100	1.73650e5	1225.27979	86.6228



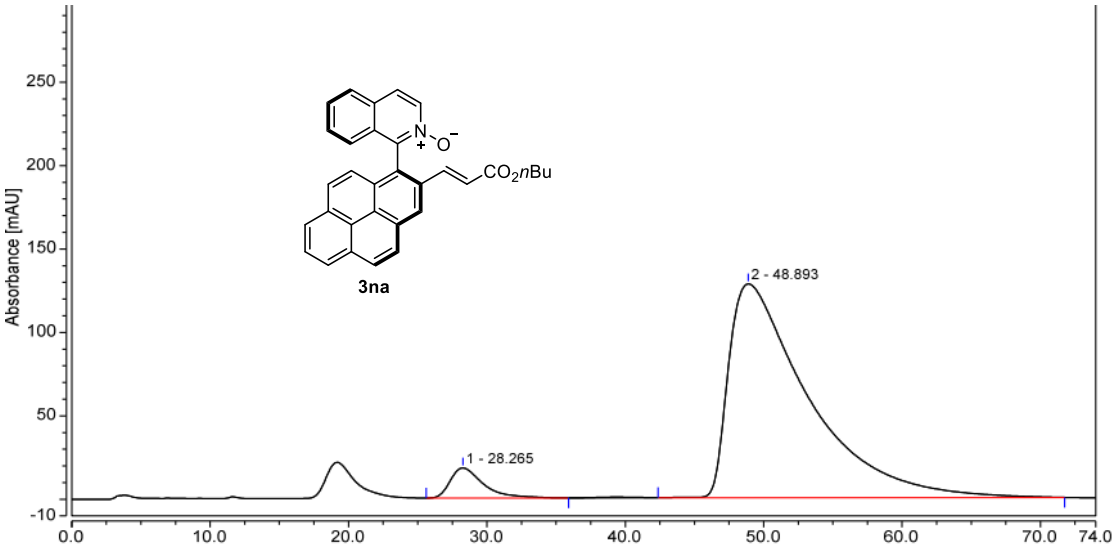
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	21.802	557.477	367.792	49.41	57.03
2	26.670	570.789	277.163	50.59	42.97
Total:		**1128.267**	**644.955**	**100.00**	**100.00**



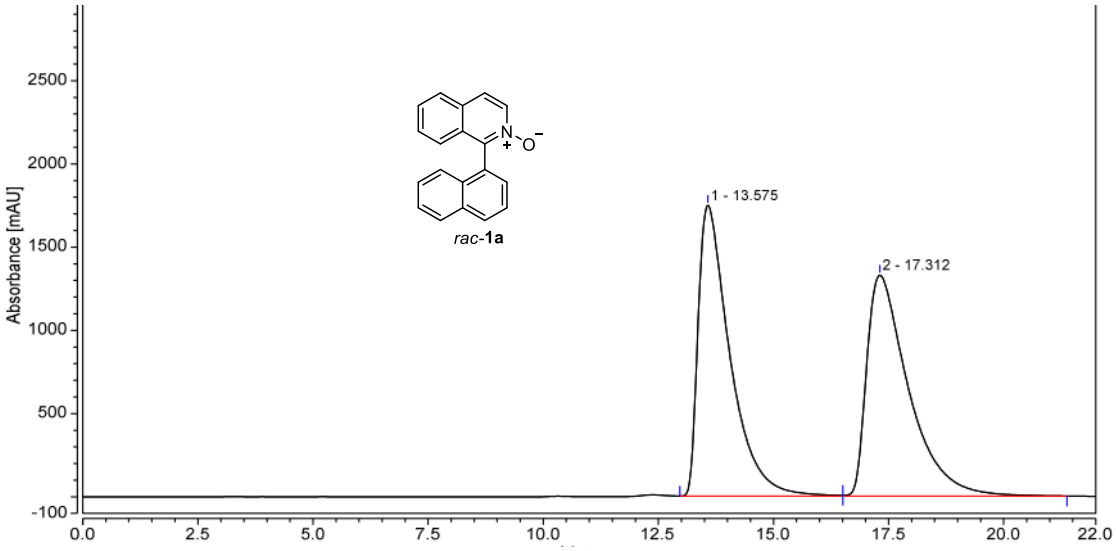
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	21.883	424.638	278.098	97.15	98.88
2	29.523	12.479	3.146	2.85	1.12
Total:		**437.117**	**281.244**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	27.442	570.271	216.803	50.83	71.80
2	50.410	551.676	85.135	49.17	28.20
Total:		**1121.947**	**301.938**	**100.00**	**100.00**

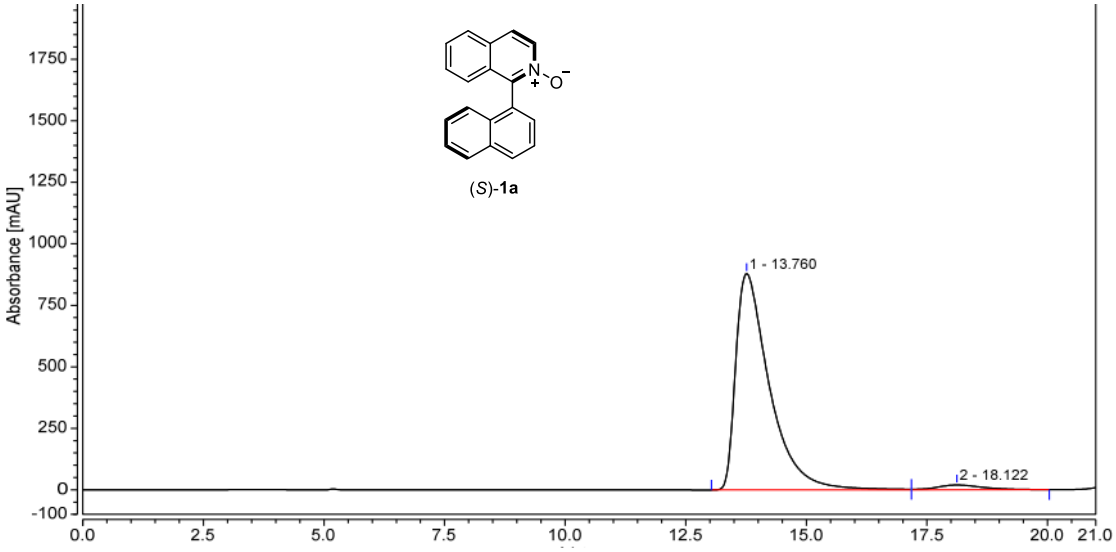


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	28.265	49.932	18.023	5.52	12.32
2	48.893	854.970	128.232	94.48	87.68
Total:		**904.901**	**146.255**	**100.00**	**100.00**

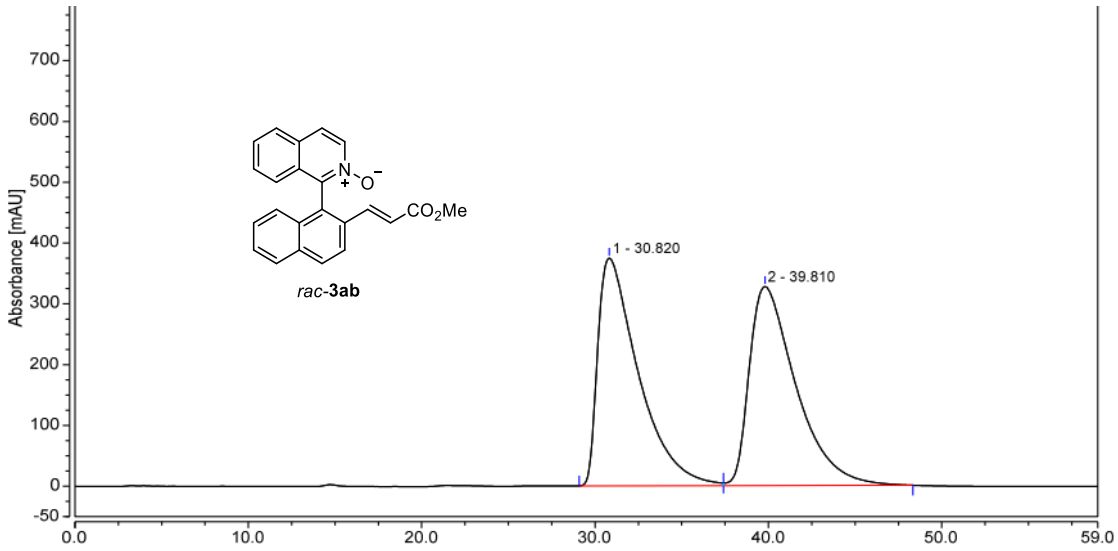


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.575	1396.154	1747.666	50.03	56.83
2	17.312	1394.660	1327.380	49.97	43.17
Total:		**2790.814**	**3075.046**	**100.00**	**100.00**

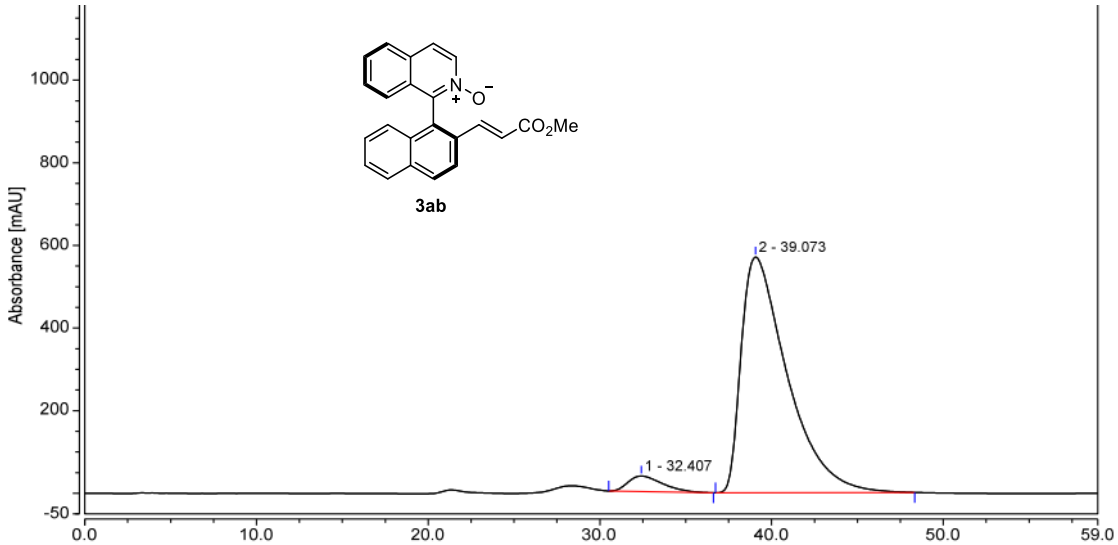
HPLC spectra of recovered (*S*)-1a, for 3ab



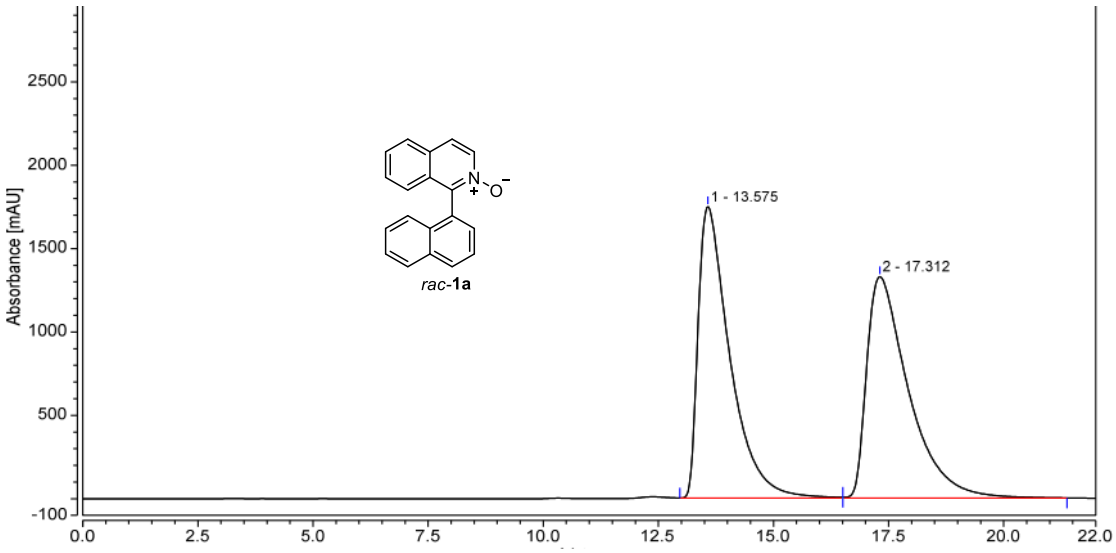
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.760	713.110	879.583	97.23	97.87
2	18.122	20.315	19.105	2.77	2.13
Total:		**733.425**	**898.688**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	30.820	1015.130	374.518	49.84	53.37
2	39.810	1021.818	327.269	50.16	46.63
Total:		**2036.948**	**701.787**	**100.00**	**100.00**

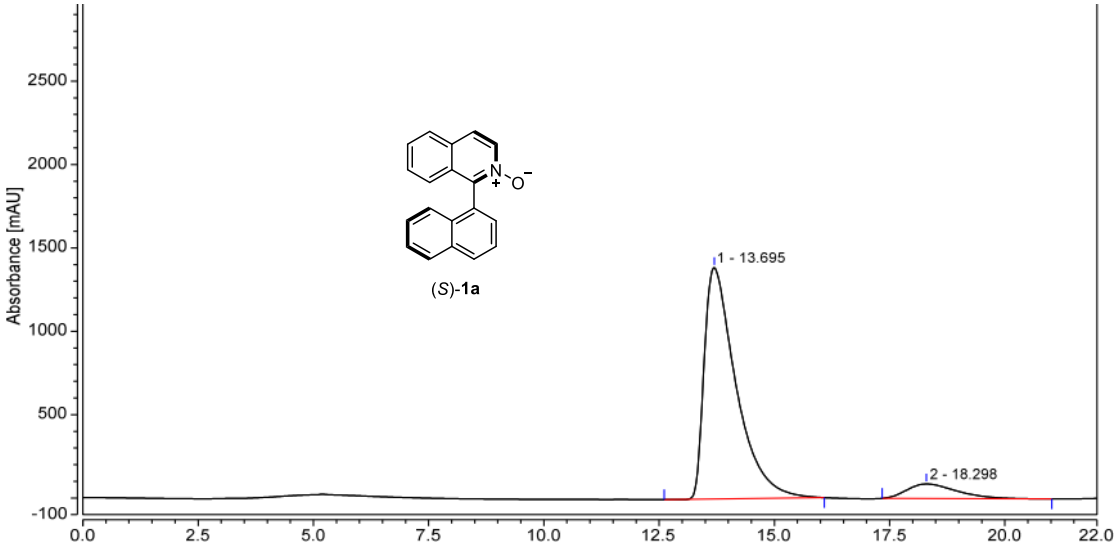


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	32.407	87.827	37.554	4.71	6.17
2	39.073	1777.304	570.744	95.29	93.83
Total:		**1865.131**	**608.298**	**100.00**	**100.00**

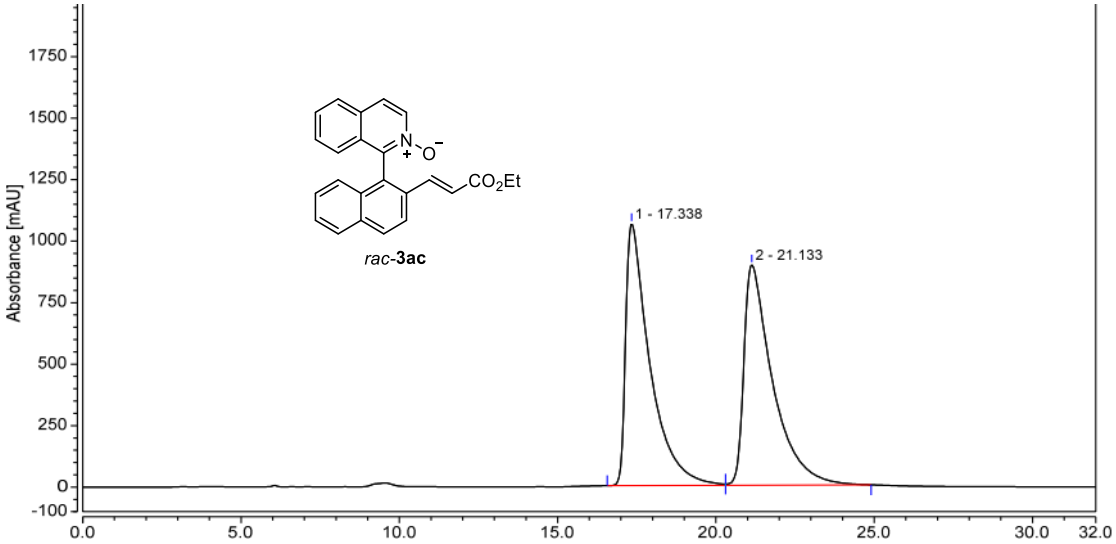


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.575	1396.154	1747.666	50.03	56.83
2	17.312	1394.660	1327.380	49.97	43.17
Total:		**2790.814**	**3075.046**	**100.00**	**100.00**

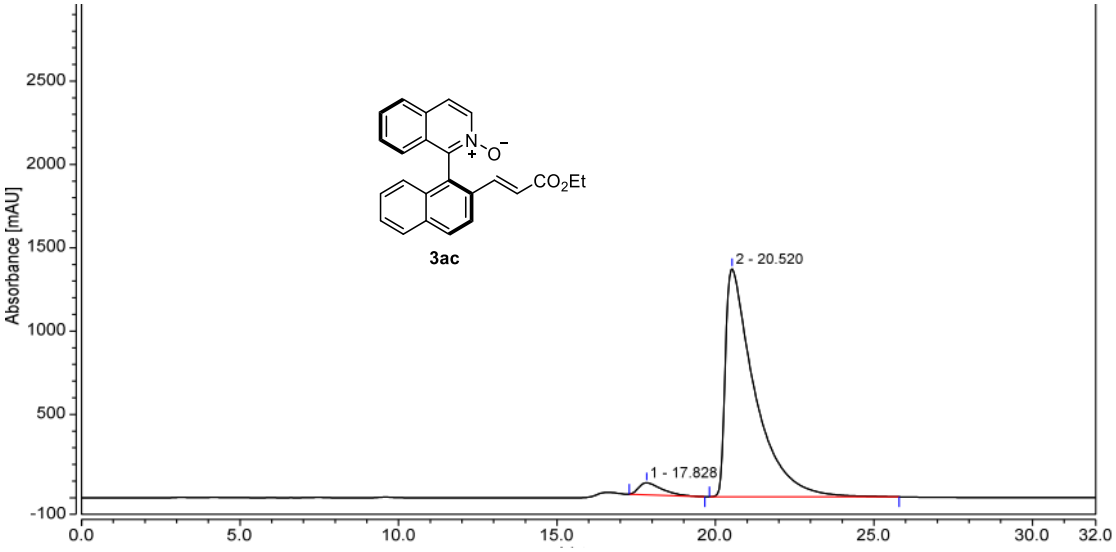
HPLC spectra of recovered (*S*)-1a, for 3ac



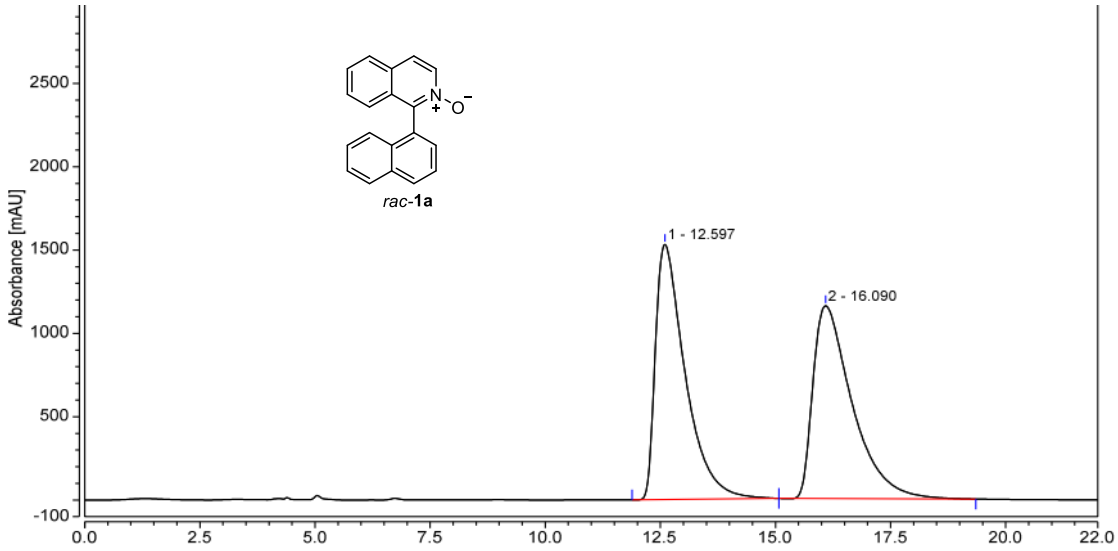
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.695	1110.108	1387.652	91.01	94.09
2	18.298	109.612	87.085	8.99	5.91
Total:		**1219.720**	**1474.737**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	17.338	942.010	1065.476	50.03	54.32
2	21.133	940.959	895.981	49.97	45.68
Total:		**1882.970**	**1961.457**	**100.00**	**100.00**

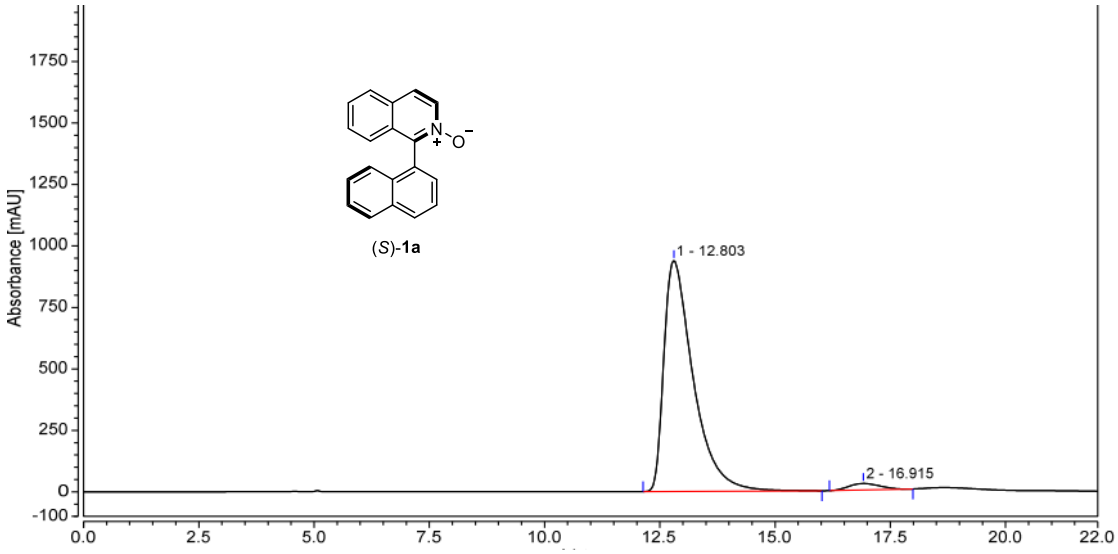


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	17.828	61.388	71.644	4.00	4.97
2	20.520	1472.966	1368.792	96.00	95.03
Total:		**1534.355**	**1440.436**	**100.00**	**100.00**

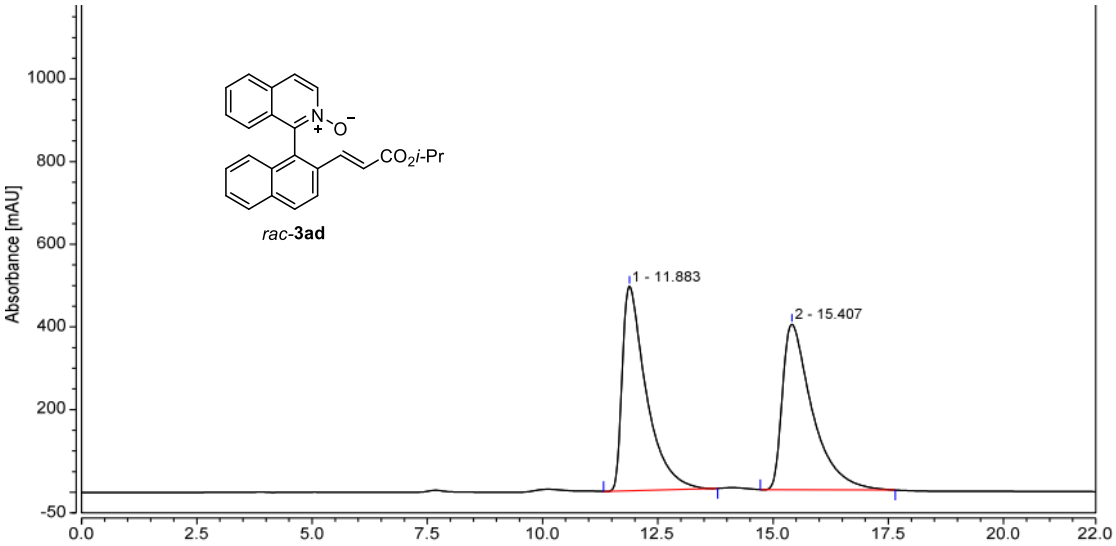


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.597	1149.616	1531.971	50.15	56.97
2	16.090	1142.765	1157.232	49.85	43.03
Total:		**2292.381**	**2689.203**	**100.00**	**100.00**

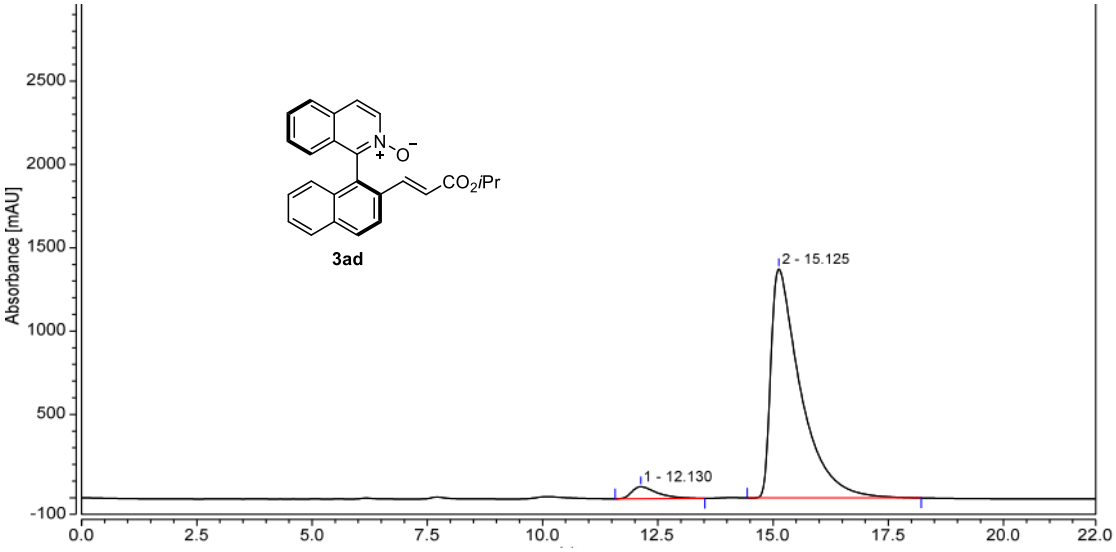
HPLC spectra of recovered (*S*)-1a, for 3ad



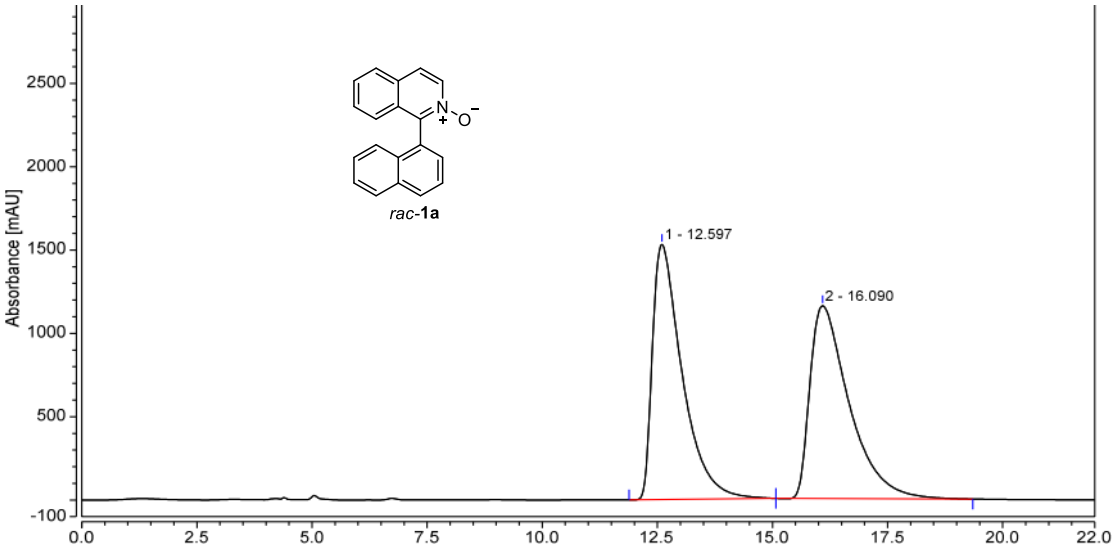
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.803	694.912	939.073	97.13	97.26
2	16.915	20.522	26.423	2.87	2.74
Total:		**715.434**	**965.496**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	11.883	299.647	495.024	49.85	55.28
2	15.407	301.471	400.541	50.15	44.72
Total:		**601.118**	**895.565**	**100.00**	**100.00**

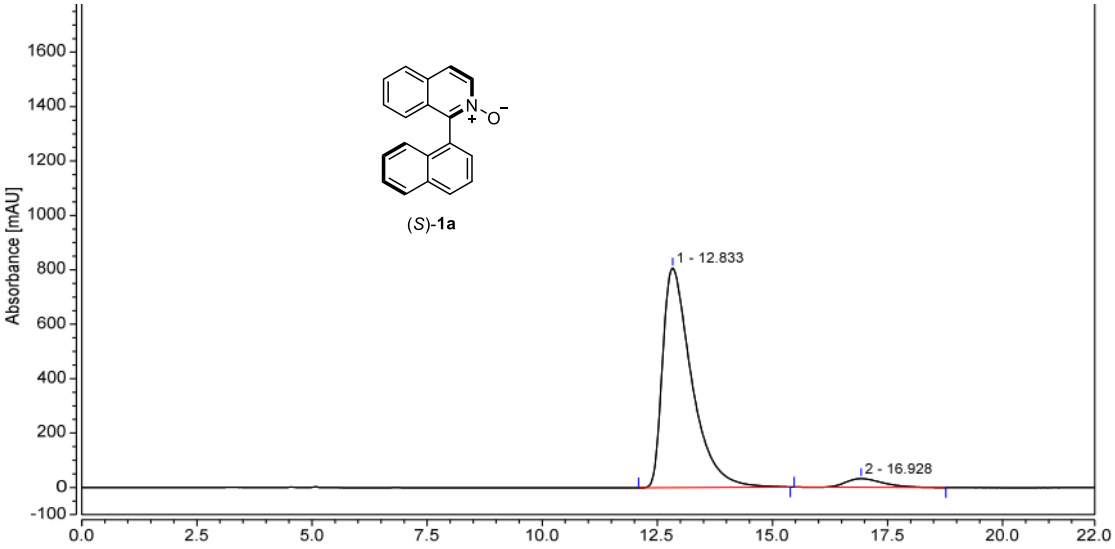


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.130	45.833	71.682	4.26	4.95
2	15.125	1029.698	1375.258	95.74	95.05
Total:		**1075.531**	**1446.940**	**100.00**	**100.00**

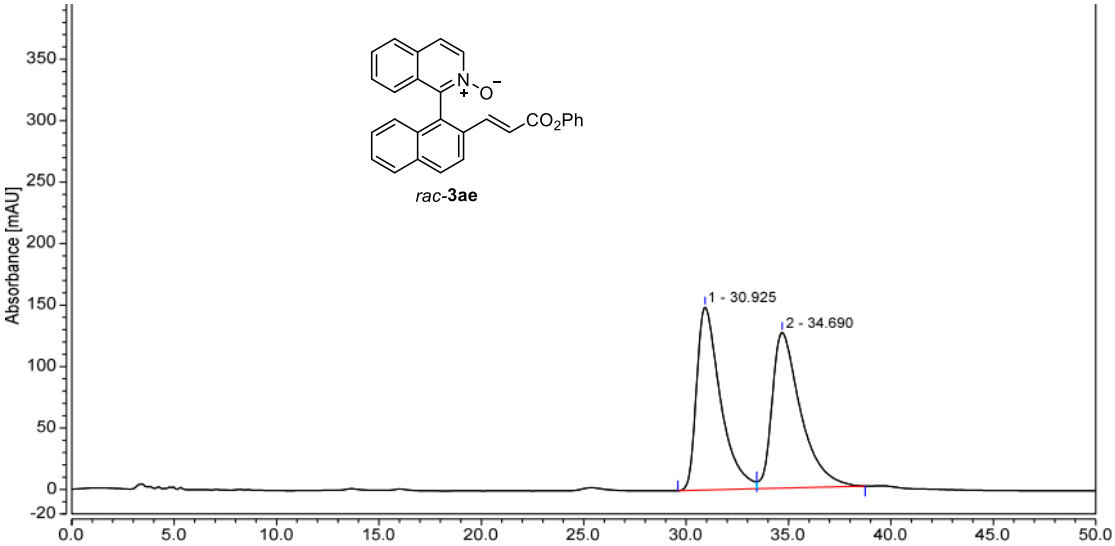


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.597	1149.616	1531.971	50.15	56.97
2	16.090	1142.765	1157.232	49.85	43.03
Total:		**2292.381**	**2689.203**	**100.00**	**100.00**

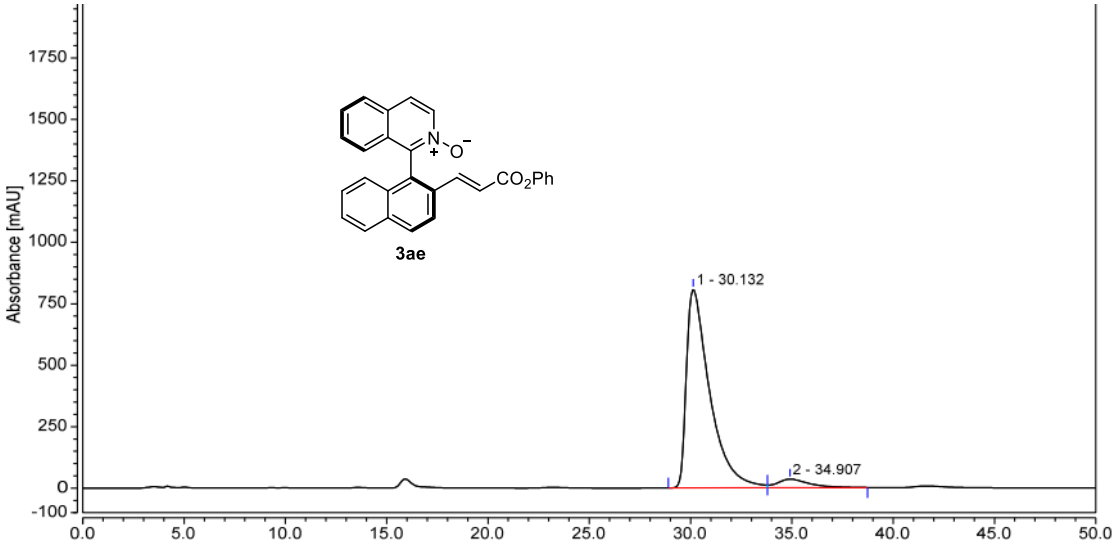
HPLC spectra of recovered (*S*)-1a, for 3ae



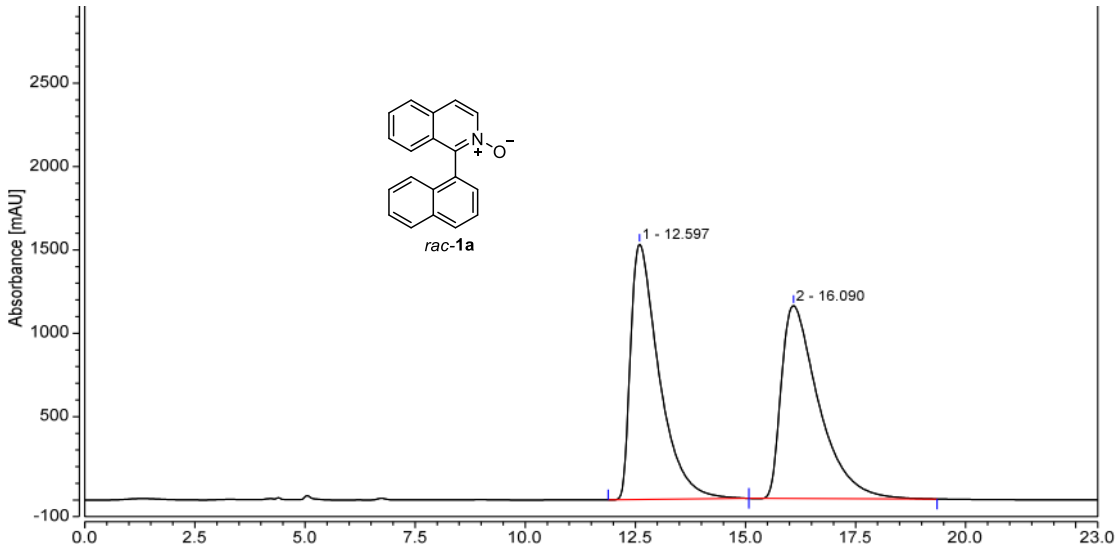
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.833	590.999	807.113	95.27	96.22
2	16.928	29.337	31.715	4.73	3.78
Total:		**620.336**	**838.828**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	30.925	201.498	148.844	49.46	54.02
2	34.690	205.893	126.682	50.54	45.98
Total:		**407.391**	**275.526**	**100.00**	**100.00**

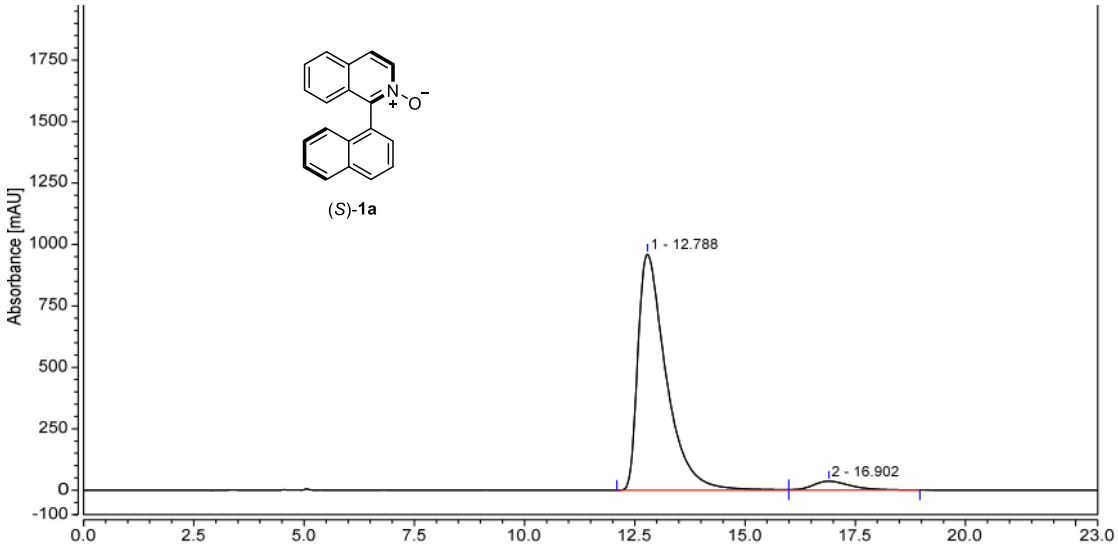


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	30.132	1077.733	807.972	94.36	95.84
2	34.907	64.450	35.069	5.64	4.16
Total:		**1142.183**	**843.041**	**100.00**	**100.00**

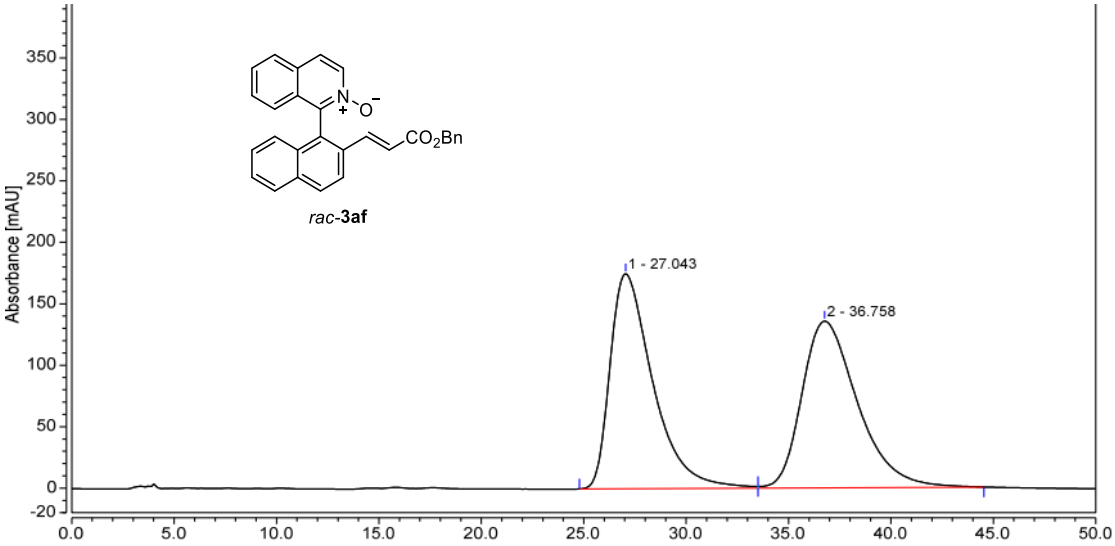


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.597	1149.616	1531.971	50.15	56.97
2	16.090	1142.765	1157.232	49.85	43.03
Total:		**2292.381**	**2689.203**	**100.00**	**100.00**

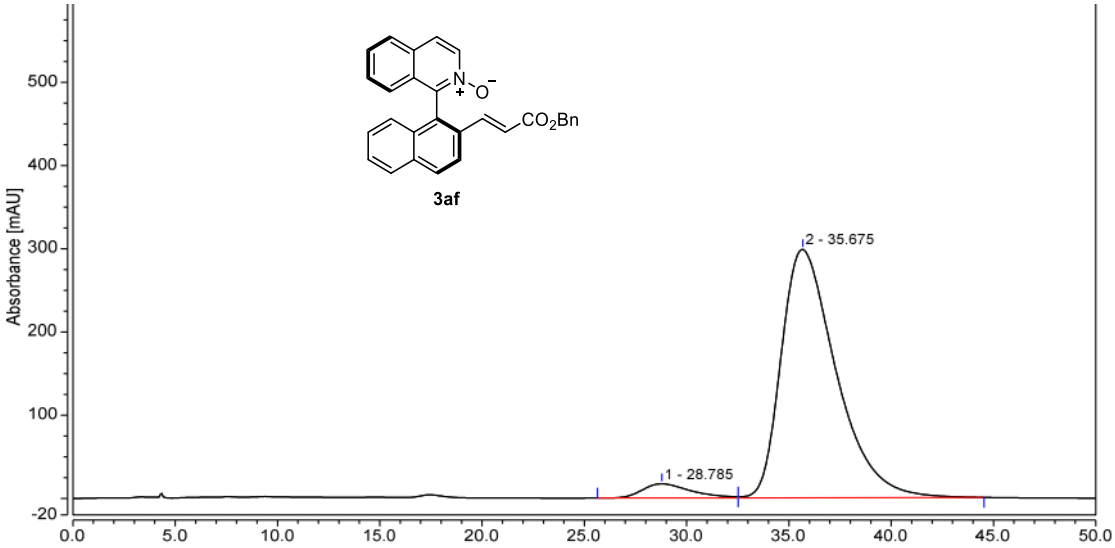
HPLC spectra of recovered (*S*)-1a, for 3af



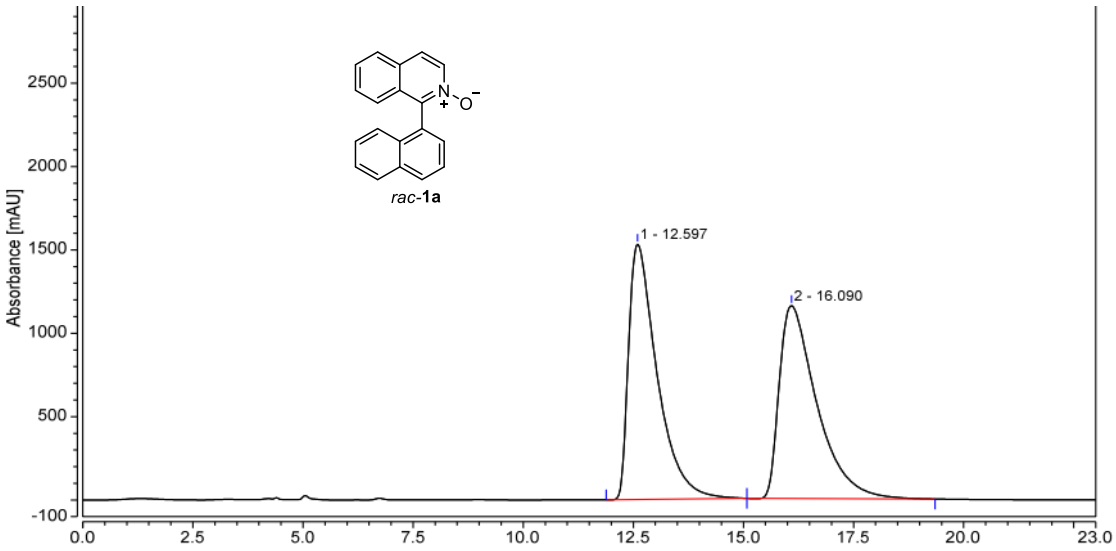
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.788	711.825	961.340	95.19	96.37
2	16.902	35.975	36.201	4.81	3.63
Total:		**747.800**	**997.541**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	27.043	423.605	174.907	49.84	56.34
2	36.758	426.383	135.545	50.16	43.66
Total:		**849.988**	**310.451**	**100.00**	**100.00**

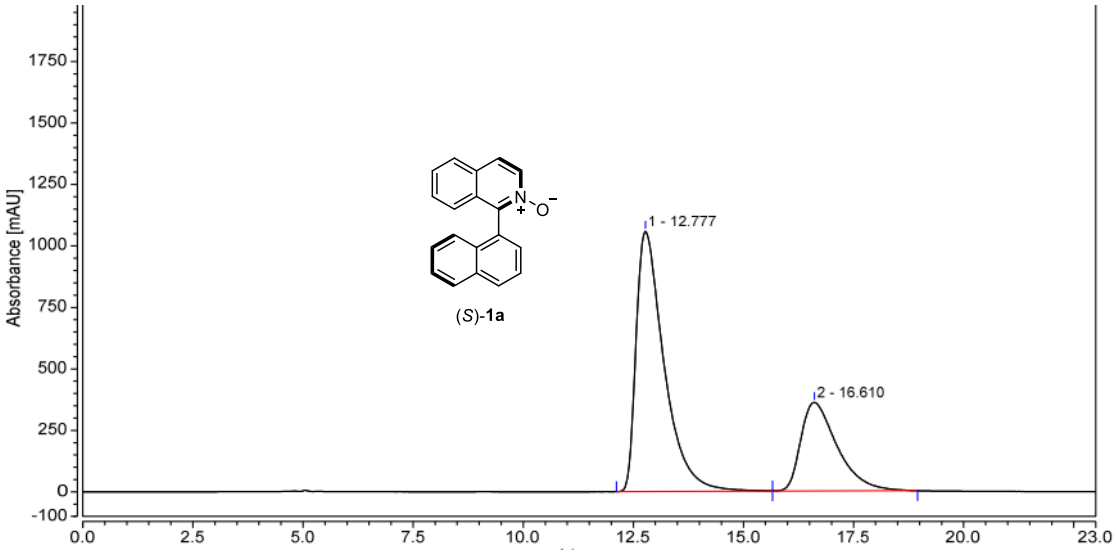


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	28.785	46.186	16.954	4.74	5.38
2	35.675	928.232	298.237	95.26	94.62
Total:		**974.418**	**315.191**	**100.00**	**100.00**

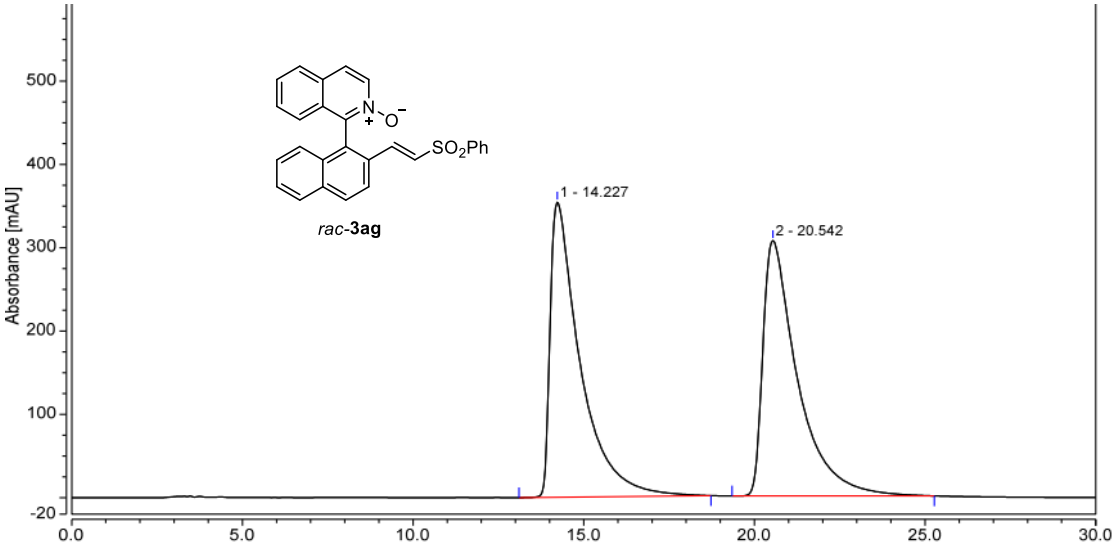


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.597	1149.616	1531.971	50.15	56.97
2	16.090	1142.765	1157.232	49.85	43.03
Total:		**2292.381**	**2689.203**	**100.00**	**100.00**

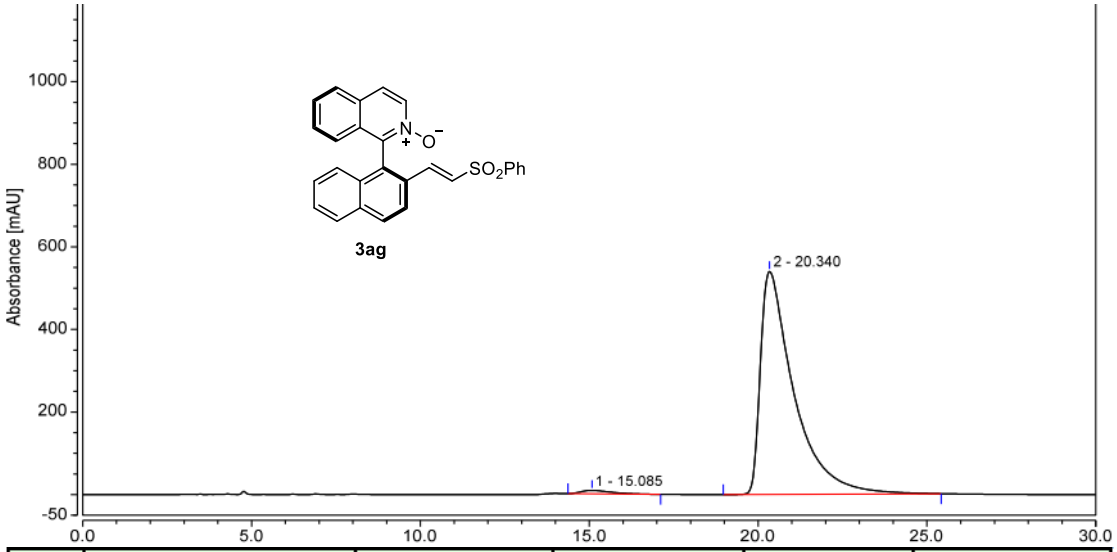
HPLC spectra of recovered (*S*)-1a, for 3ag



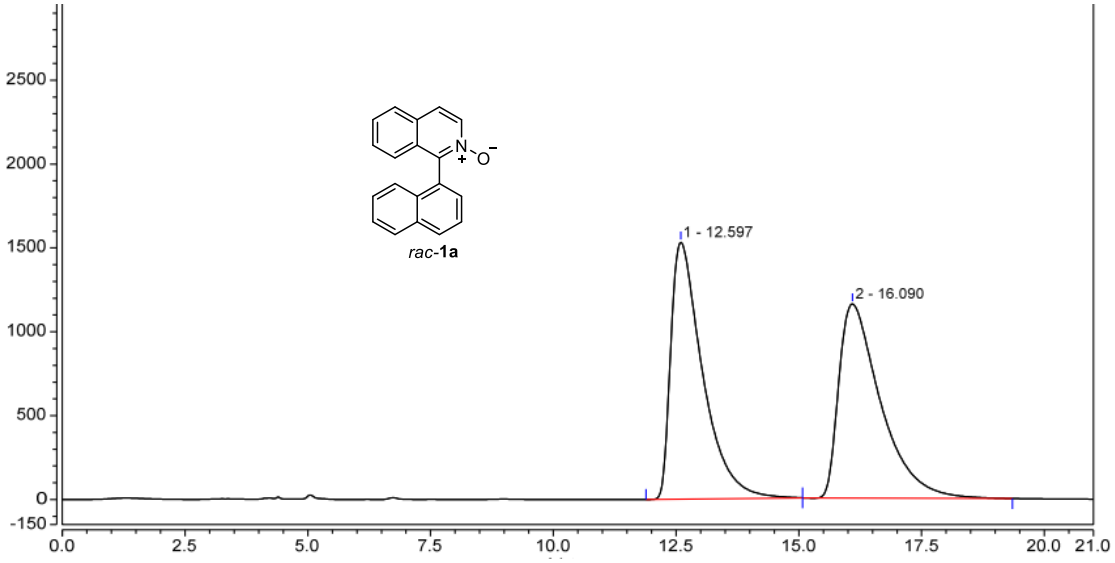
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.777	780.656	1059.297	69.26	74.63
2	16.610	346.445	360.097	30.74	25.37
Total:		**1127.101**	**1419.393**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.227	360.468	354.492	50.04	53.62
2	20.542	359.820	306.644	49.96	46.38
Total:		**720.288**	**661.136**	**100.00**	**100.00**

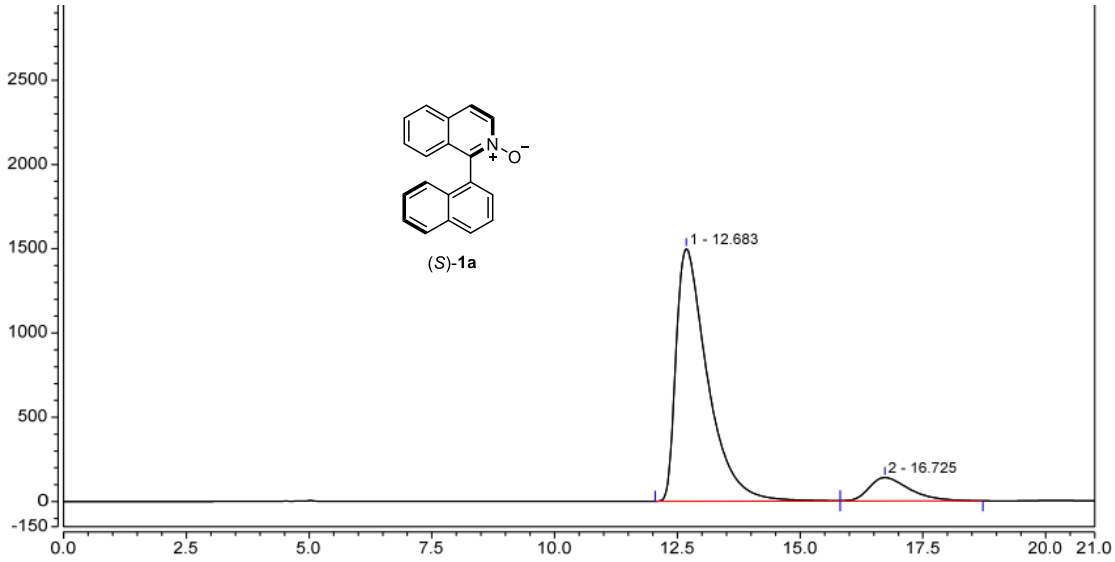


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	15.085	8.737	8.394	1.39	1.53
2	20.340	620.077	540.028	98.61	98.47
Total:		**628.813**	**548.422**	**100.00**	**100.00**

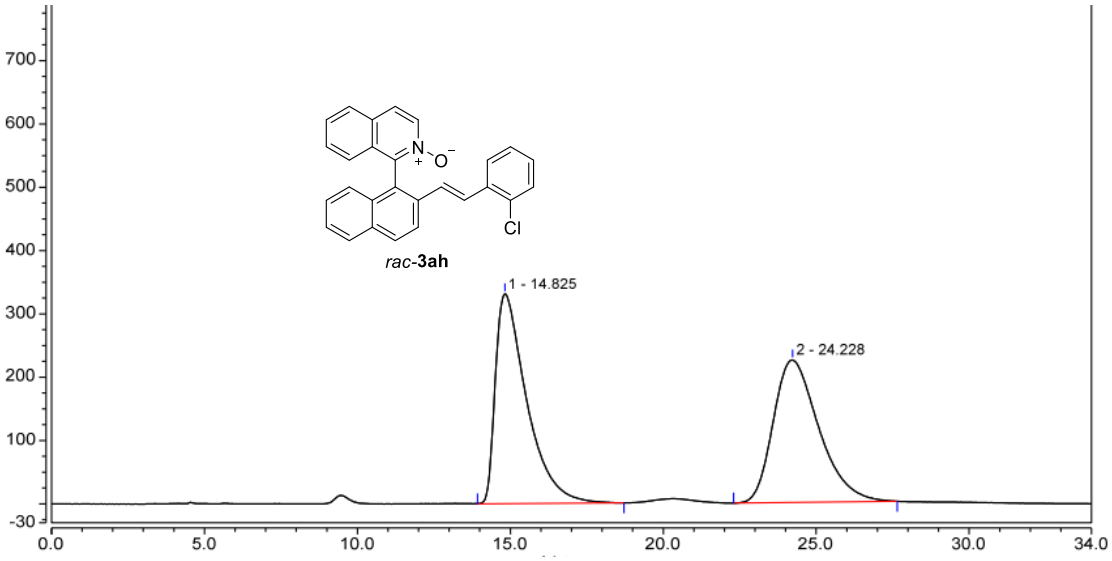


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.597	1149.616	1531.971	50.15	56.97
2	16.090	1142.765	1157.232	49.85	43.03
Total:		**2292.381**	**2689.203**	**100.00**	**100.00**

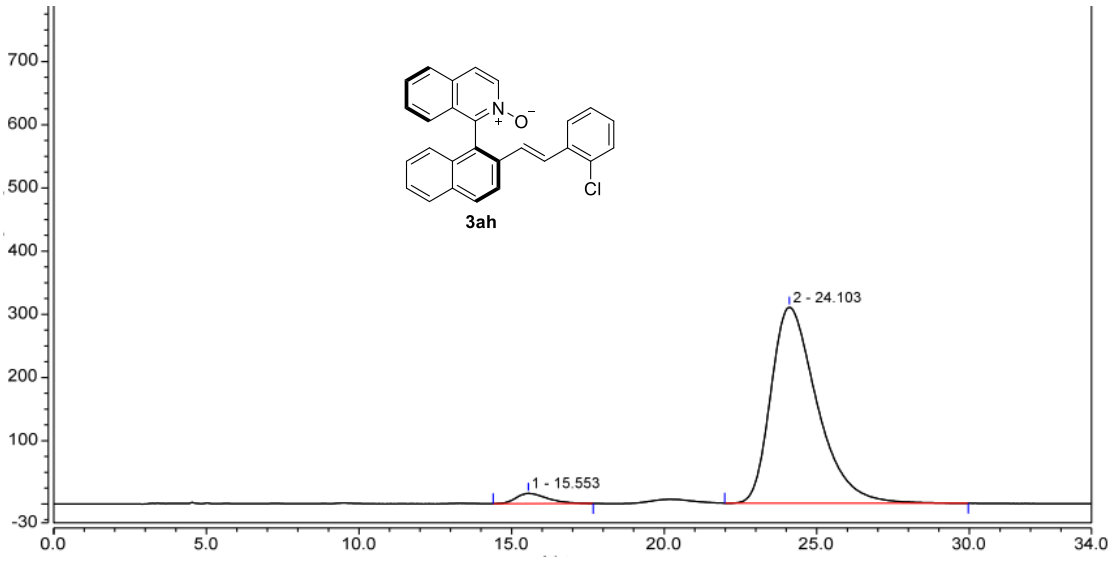
HPLC spectra of recovered (*S*)-1a, for 3ah



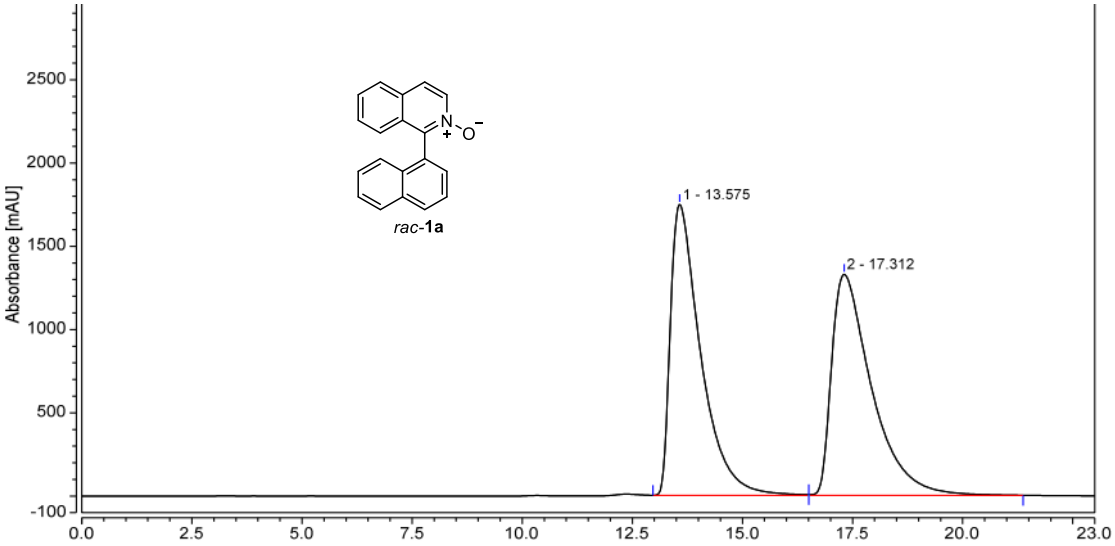
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.683	1115.347	1498.602	89.32	91.50
2	16.725	133.318	139.200	10.68	8.50
Total:		**1248.665**	**1637.803**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.825	393.179	331.438	50.26	59.56
2	24.228	389.159	225.004	49.74	40.44
Total:		**782.339**	**556.441**	**100.00**	**100.00**

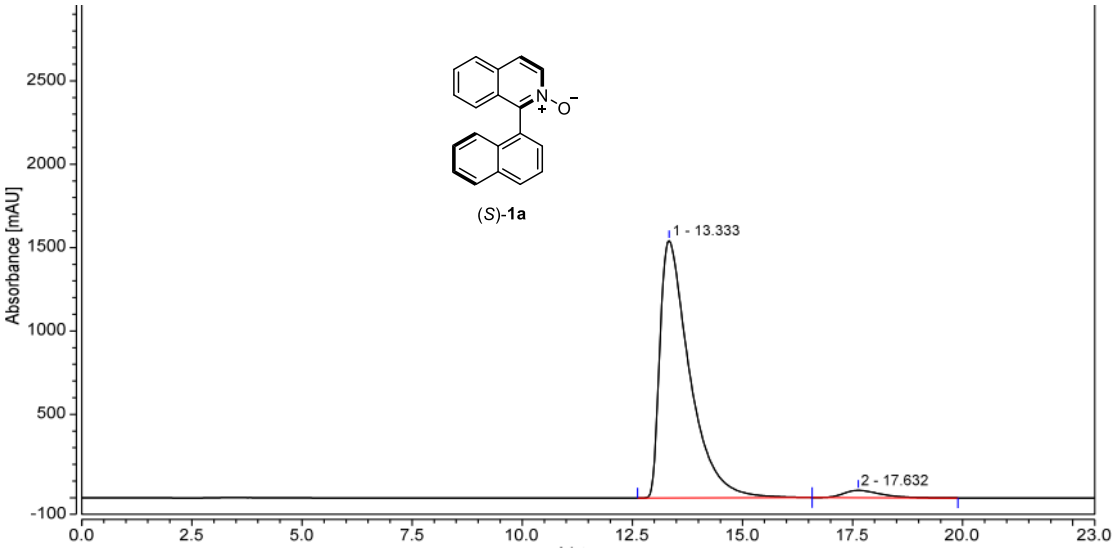


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	15.553	19.006	16.141	3.37	4.95
2	24.103	544.352	310.103	96.63	95.05
Total:		**563.358**	**326.245**	**100.00**	**100.00**

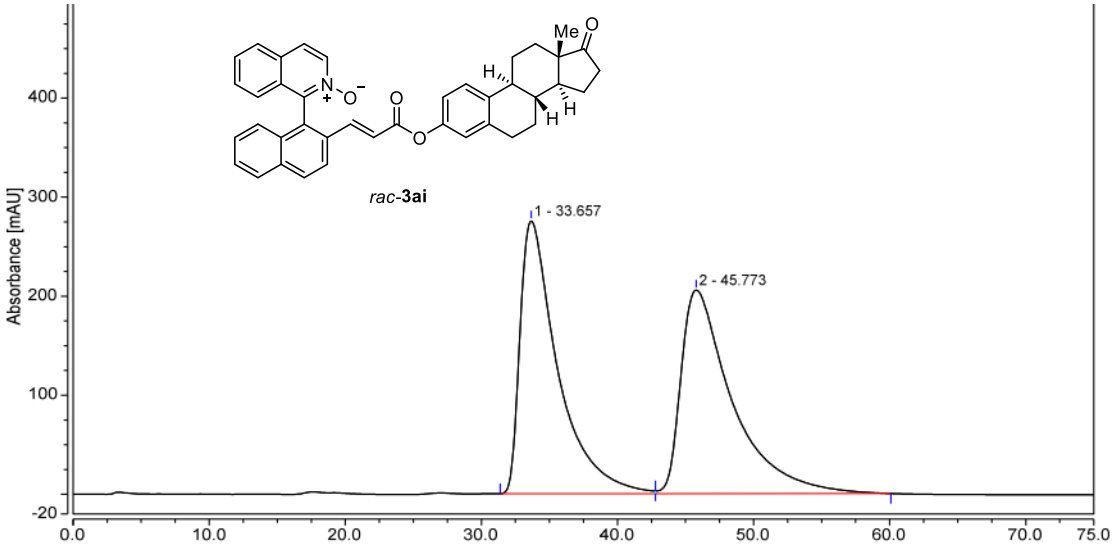


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.575	1396.154	1747.666	50.03	56.83
2	17.312	1394.660	1327.380	49.97	43.17
Total:		**2790.814**	**3075.046**	**100.00**	**100.00**

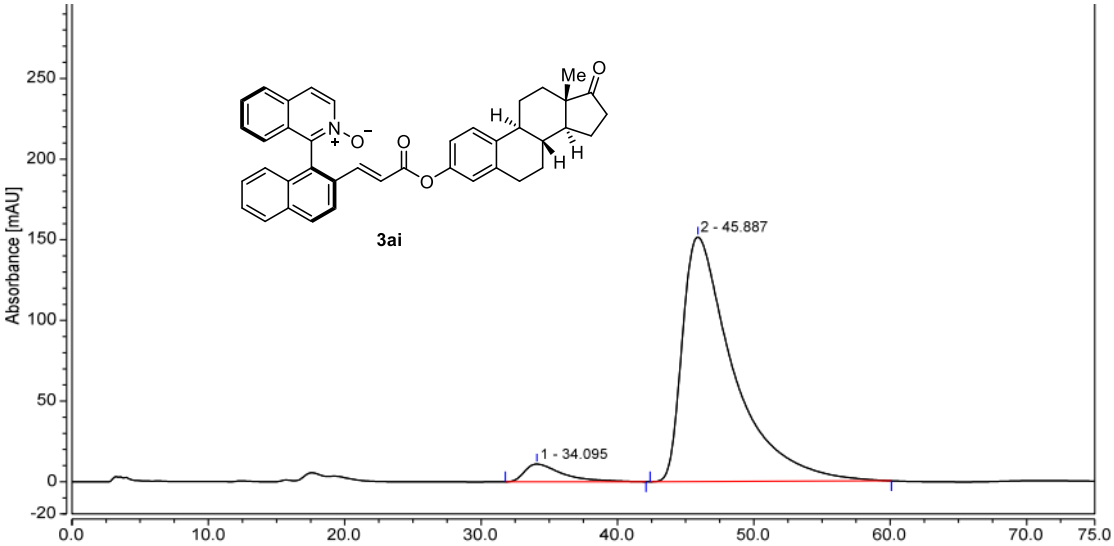
HPLC spectra of recovered (*S*)-1a, for 3ai



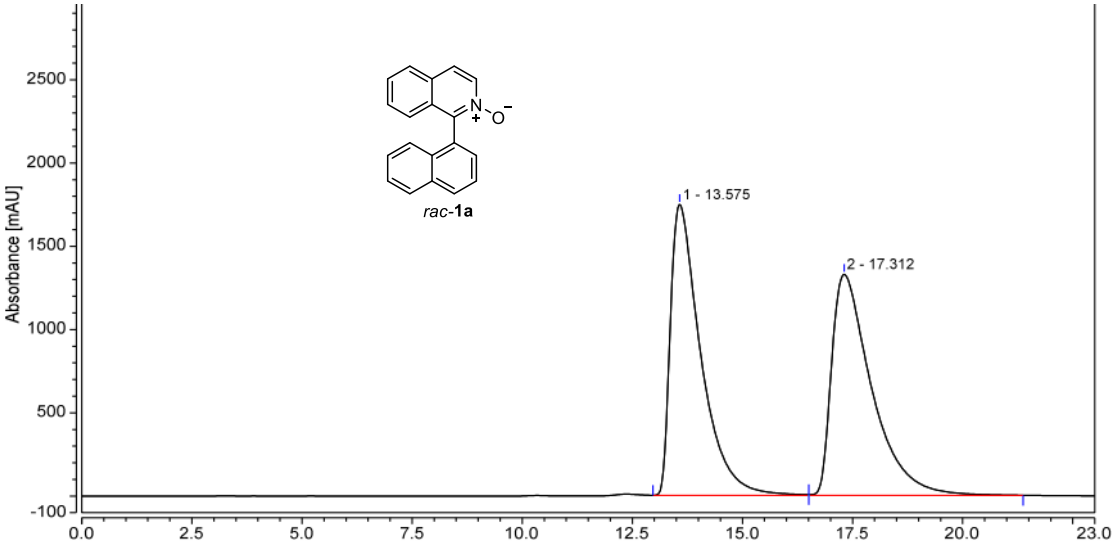
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.333	1208.367	1543.957	96.66	97.29
2	17.632	41.693	42.988	3.34	2.71
Total:		**1250.060**	**1586.945**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	33.657	883.848	275.506	49.67	57.27
2	45.773	895.756	205.599	50.33	42.73
Total:		**1779.604**	**481.105**	**100.00**	**100.00**

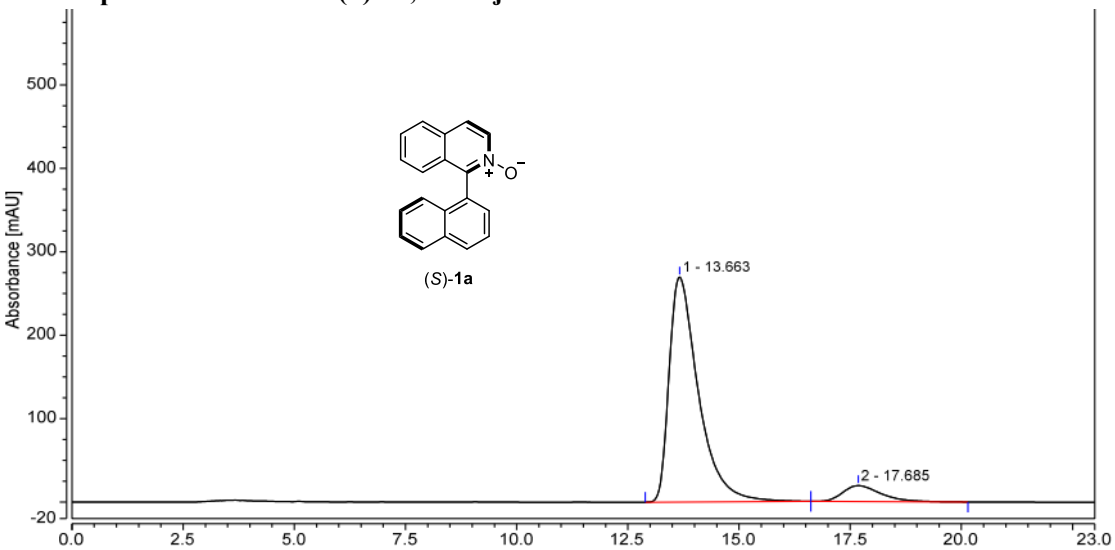


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	34.095	35.188	11.004	5.07	6.77
2	45.887	658.744	151.511	94.93	93.23
Total:		**693.933**	**162.515**	**100.00**	**100.00**

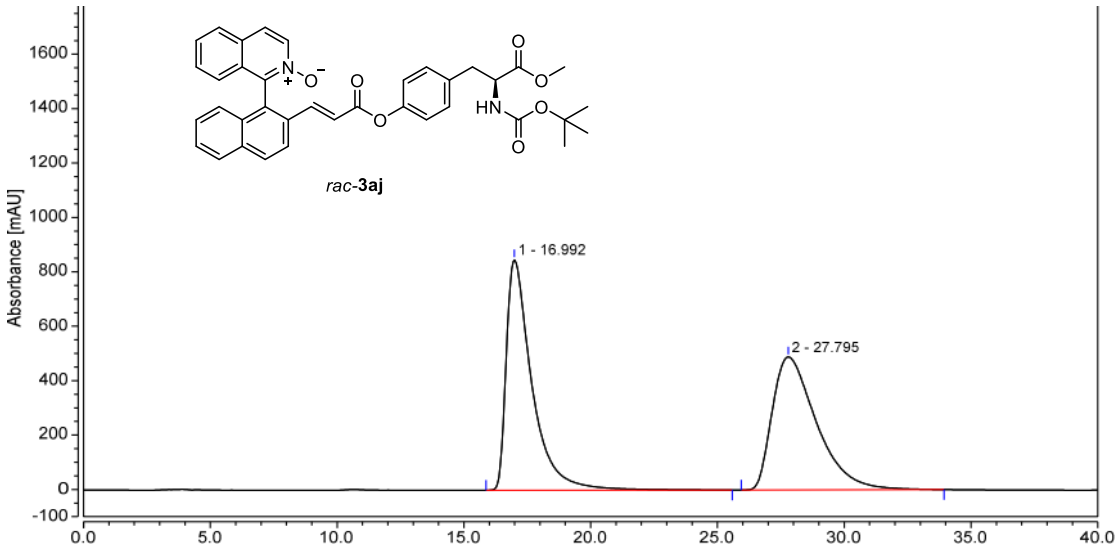


Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.575	1396.154	1747.666	50.03	56.83
2	17.312	1394.660	1327.380	49.97	43.17
Total:		**2790.814**	**3075.046**	**100.00**	**100.00**

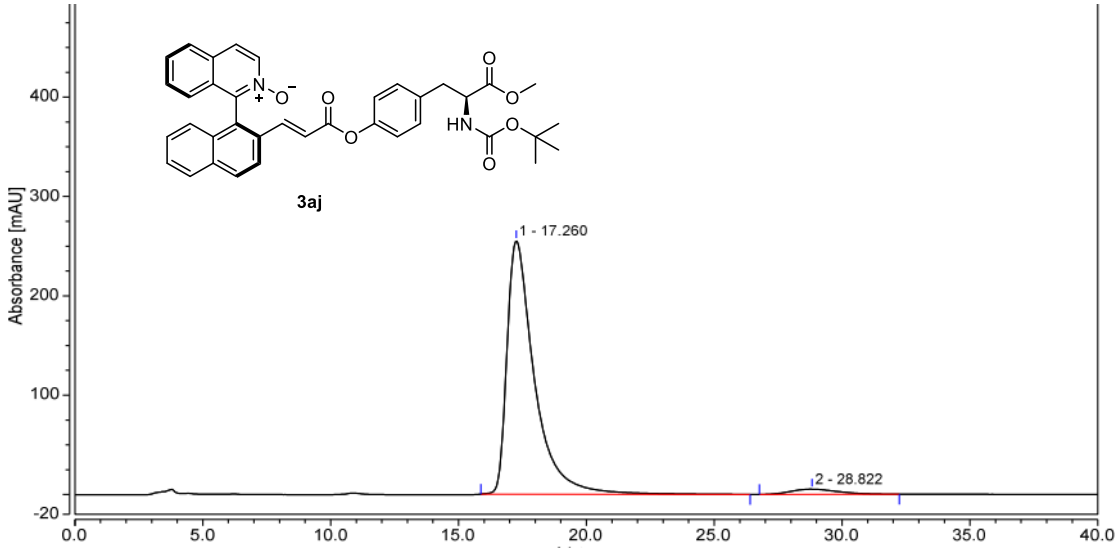
HPLC spectra of recovered (*S*)-1a, for 3aj



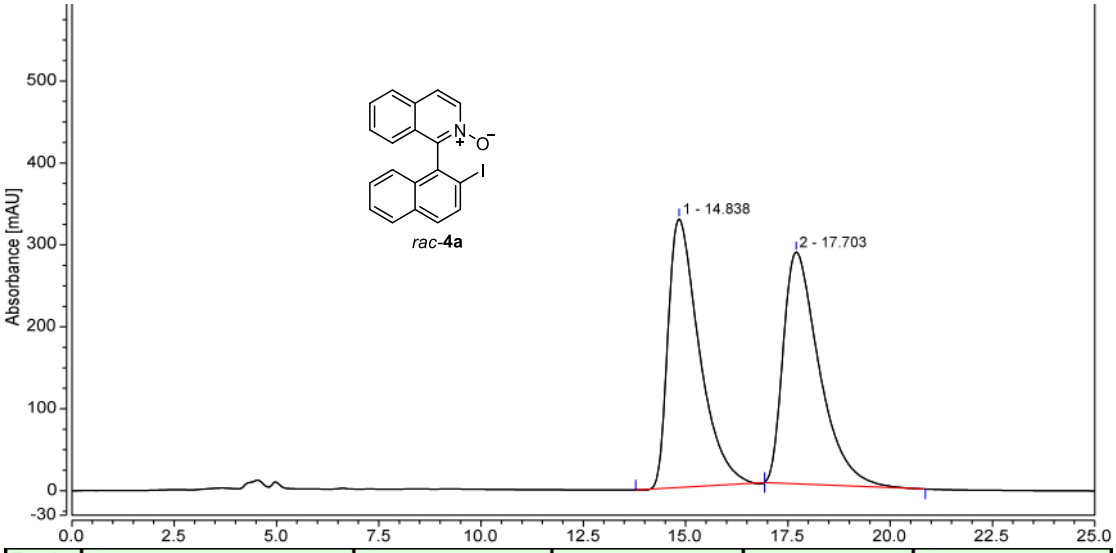
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	13.663	206.228	269.847	91.61	93.40
2	17.685	18.896	19.081	8.39	6.60
Total:		**225.124**	**288.928**	**100.00**	**100.00**



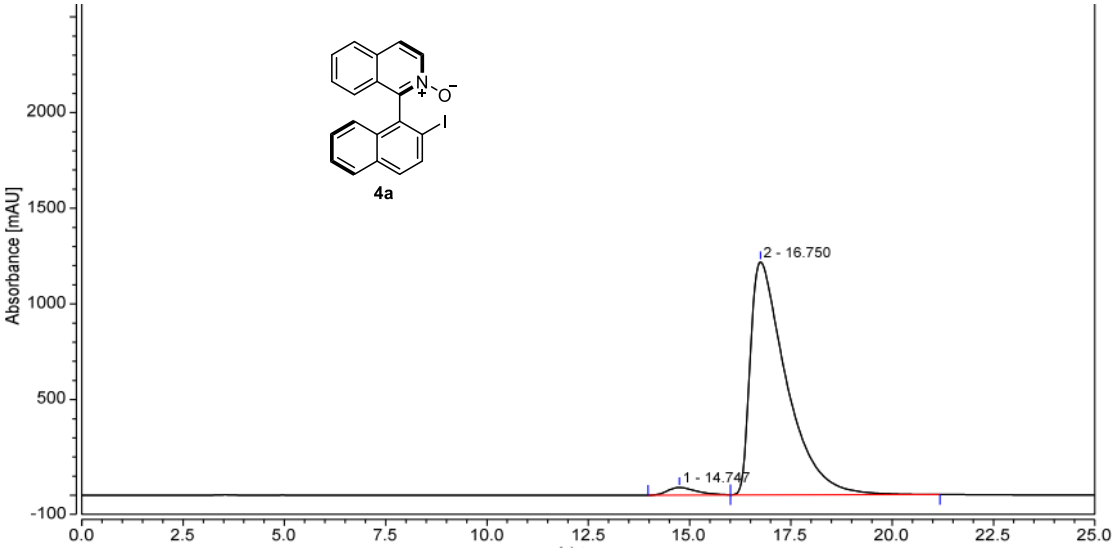
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	16.992	995.492	846.977	50.15	63.41
2	27.795	989.464	488.771	49.85	36.59
Total:		**1984.956**	**1335.748**	**100.00**	**100.00**



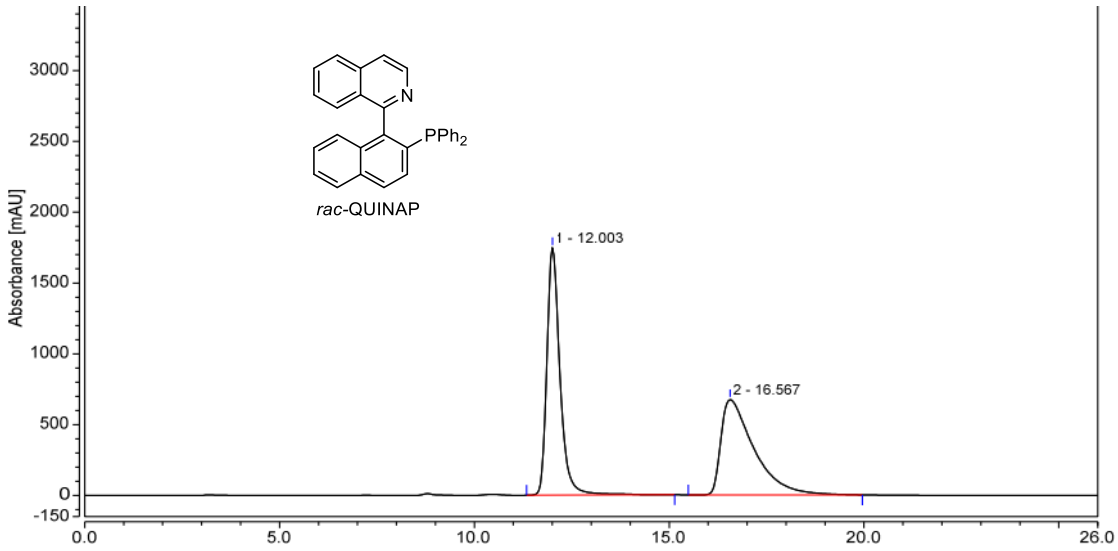
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	17.260	318.096	255.107	96.60	97.99
2	28.822	11.196	5.236	3.40	2.01
Total:		**329.291**	**260.343**	**100.00**	**100.00**



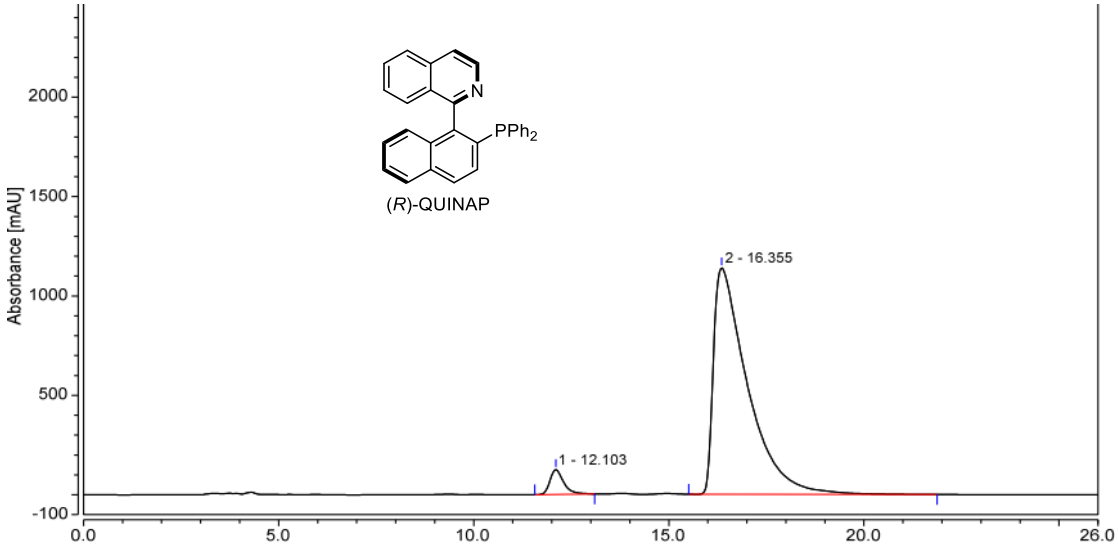
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.838	288.879	327.875	50.01	53.69
2	17.703	288.739	282.755	49.99	46.31
Total:		**577.618**	**610.630**	**100.00**	**100.00**



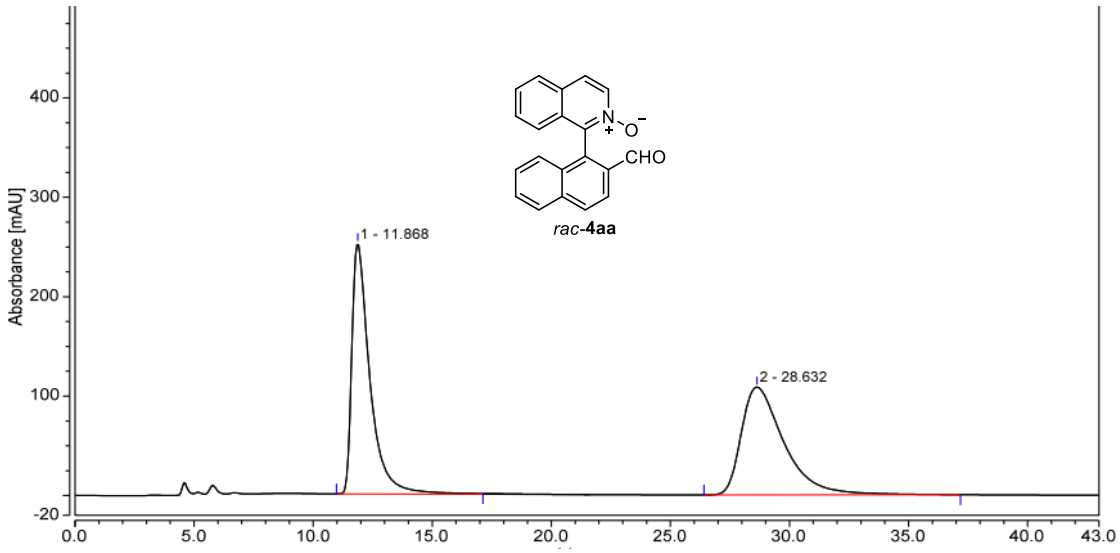
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	14.747	33.616	39.811	2.60	3.16
2	16.750	1259.685	1218.564	97.40	96.84
Total:		**1293.301**	**1258.375**	**100.00**	**100.00**



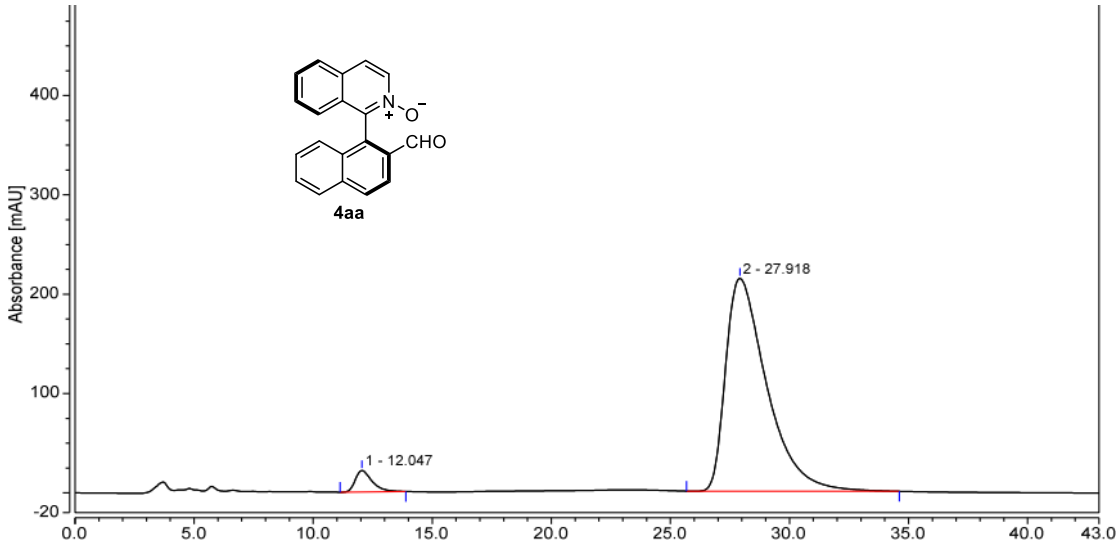
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.003	684.526	1748.547	50.91	72.21
2	16.567	660.158	672.893	49.09	27.79
Total:		**1344.684**	**2421.440**	**100.00**	**100.00**



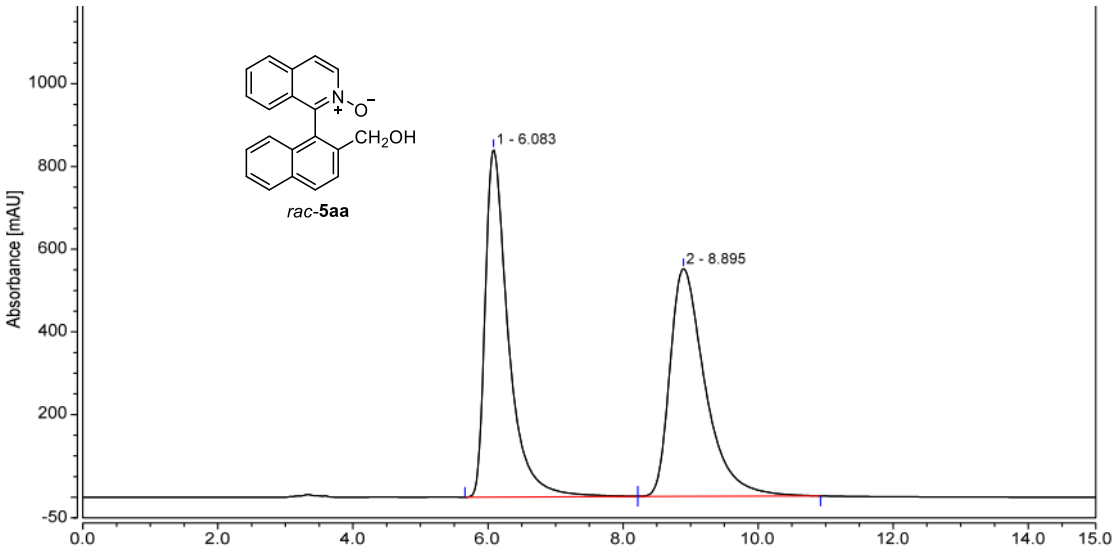
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.103	50.934	125.107	4.23	9.90
2	16.355	1153.056	1139.051	95.77	90.10
Total:		**1203.990**	**1264.158**	**100.00**	**100.00**



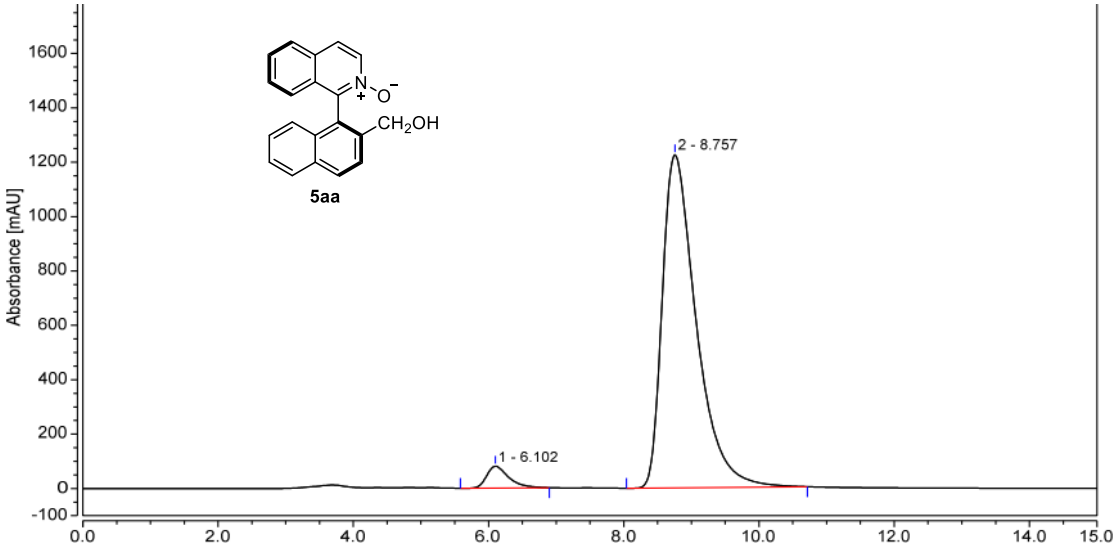
Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	11.868	222.686	251.983	49.69	69.92
2	28.632	225.487	108.430	50.31	30.08
Total:		**448.173**	**360.412**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	12.047	17.672	21.487	3.95	9.11
2	27.918	429.275	214.335	96.05	90.89
Total:		**446.947**	**235.822**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	6.083	333.817	840.372	50.10	60.41
2	8.895	332.522	550.699	49.90	39.59
Total:		**666.339**	**1391.072**	**100.00**	**100.00**



Peak	Retention Time min	Area mAU*min	Height mAU	Area %	Height %
1	6.102	30.261	80.233	4.07	6.15
2	8.757	712.452	1224.768	95.93	93.85
Total:		**742.713**	**1305.001**	**100.00**	**100.00**

6. References

1. D.-W. Gao, Q. Gu and S.-L. You, Pd(II)-Catalyzed Intermolecular Direct C-H Bond Iodination: An Efficient Approach toward the Synthesis of Axially Chiral Compounds *via* Kinetic Resolution, *ACS Catal.*, **2014**, *4*, 2741-2745.
2. U. Dhawa, C. Tian, T. Wdowik, J. A. Oliveira, J. Hao and L. Ackermann, Enantioselective Pallada-Electrocatalyzed C-H Activation by Transient Directing Groups: Expedient Access to Helicenes, *Angew. Chem. Int. Ed.*, **2020**, *59*, 13451-13457.
3. K. M. Allan, B. D. Hong and B. M. Stoltz, Expedient Synthesis of 3-Hydroxyisoquinolines and 2-Hydroxy-1,4-naphthoquinones via One-pot Aryne Acyl-alkylation/condensation, *Org. Biomol. Chem.*, **2009**, *7*, 4960-4964.